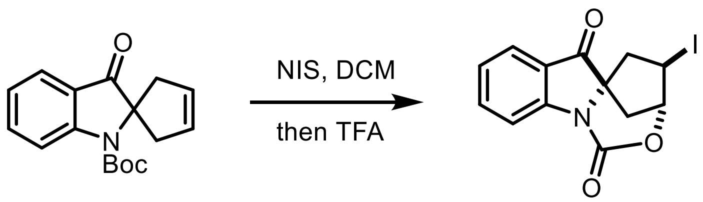
Materials and methods.

Unless stated otherwise, reactions were conducted in dry glassware using anhydrous solvents (passed through activated alumina columns). All commercially available reagents were used as received unless otherwise specified. Reaction temperatures were controlled using an IKA mag temperature modulator, and unless stated otherwise, reactions were performed at room temperature (RT, approximately 23 °C). Thin layer chromatography (TLC) was conducted on plates (GF254) supplied by Yantai Chemicals (China) and visualized using a combination of UV, anisaldehyde, iodine, and potassium permanganate staining. Silica gel (200-300 mesh) supplied by Tsingtao Haiyang Chemicals (China) was used for flash column chromatography. ^{1}H NMR spectra were recorded on Bruker spectrometers (at 400 MHz) and are reported relative to deuterated solvent signals. Data for ^{1}H NMR spectra are reported as follows: chemical shift (δ ppm), multiplicity, coupling constant (Hz) and integration. ^{13}C NMR spectra are reported in terms of chemical shift. High resolution mass spectra were obtained from the Tsinghua University Mass Spectrometry Facility.



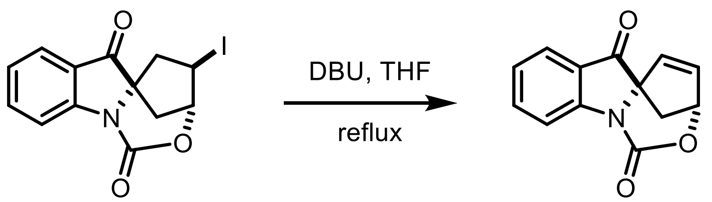
S1 **S2**

To a solution of **S1** (3.1 g, 10.88 mmol) in dichloromethane (108.0 mL) at RT was added *N*-Iodosuccinimide (4.9 g, 21.76 mmol). The mixture was stirred for 30 min, and then trifluoroacetic acid (10.8 mL) was added. The reaction was stirred for 3 h at RT. The reaction was quenched with saturated sodium carbonate solution and saturated sodium sulfite solution, and extracted with dichloromethane (3×100 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/4 to afford the desired product **S2** (3.67 g, 95% yield) as a white solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.22 (d, J = 8.3 Hz, 1H), 7.81 (dd, J = 7.7, 1.3 Hz, 1H), 7.75 (ddd, J = 8.5, 7.3, 1.4 Hz, 1H), 7.28 (t, J = 7.6 Hz, 1H), 5.20 (t, J = 1.7 Hz, 1H), 4.56 (ddd, J = 7.7, 4.7, 2.3 Hz, 1H), 3.00 (dd, J = 13.0, 2.5 Hz, 1H), 2.91 (ddd, J = 15.2, 8.1, 2.3 Hz, 1H), 2.74 (dd, J = 15.2, 4.8 Hz, 1H), 2.19 – 2.08 (m, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 194.5, 150.5, 147.0, 138.1, 124.7, 124.4, 123.0, 117.1, 88.3, 73.2, 49.4, 33.6, 18.2.

HRMS-ESI (*m/z*): calcd for $C_{13}H_{11}INO_3$ $[M+H]^+$: 355.9784; found: 355.9785.



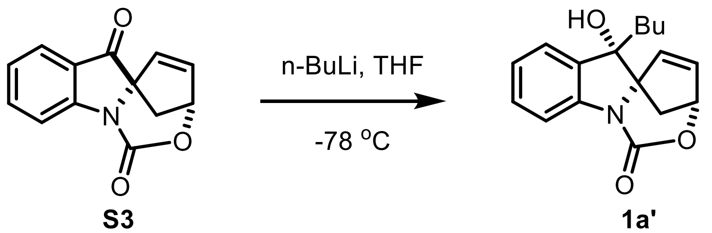
S2 **S3**

To a solution of **S2** (3.67 g, 10.34 mmol) in THF (130 mL) at RT was added 1,8-Diazabicyclo [5.4.0] undec-7-ene (10.8 mL, 72 mmol). The reaction was stirred for 3 h at reflux and then cooled to RT. The reaction was quenched with saturated ammonium chloride solution and extracted with ethyl acetate (3×100 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **S3** (1.3 g, 55% yield) as a white solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (dd, J = 8.4, 0.8 Hz, 1H), 7.79 (dt, J = 7.7, 1.0 Hz, 1H), 7.71 (ddd, J = 8.5, 7.3, 1.4 Hz, 1H), 7.38 – 7.08 (m, 1H), 6.64 (dd, J = 5.5, 2.5 Hz, 1H), 6.39 (dt, J = 5.5, 0.9 Hz, 1H), 5.47 – 5.46 (m, 1H), 2.60 (dd, J = 11.4, 2.5 Hz, 1H), 2.13 (dd, J = 11.4, 1.1 Hz, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 196.2, 151.0, 147.5, 138.4, 138.1, 136.5, 124.3, 124.2, 122.7, 117.1, 82.5, 73.5, 43.1.

HRMS-ESI (*m/z*): calcd for $C_{13}H_{10}NO_3$ $[M+H]^+$: 228.0661; found: 228.0657.

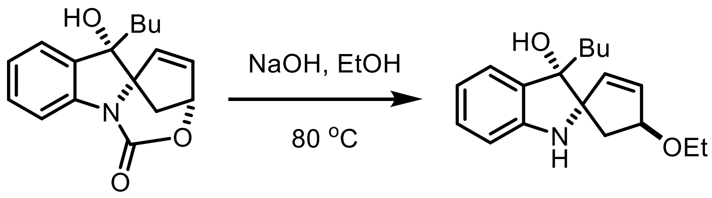


To a solution of **S3** (900 mg, 3.96 mmol) in THF (20.0 mL) at -78 °C was added n-BuLi (3.72 mL, 5.95 mmol, 1.6 M in hexanes). The reaction was stirred at -78 °C for 2 h. The reaction was quenched with saturated ammonium chloride solution and extracted with ethyl acetate (3×40 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1a´** as the major epimer (661 mg, 59% combined yield, dr = 4:1).

The major (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, J = 8.0 Hz, 1H), 7.35 (dd, J = 7.6, 1.2 Hz, 1H), 7.30 (td, J = 7.8, 1.3 Hz, 1H), 7.10 (td, J = 7.5, 1.0 Hz, 1H), 6.72 (d, J = 5.6 Hz, 1H), 6.32 (dd, J = 5.7, 2.6 Hz, 1H), 5.32 – 5.30 (m, 1H), 2.46 (s, 1H), 2.34 (dd, J = 11.2, 2.7 Hz, 1H), 2.25 (d, J = 11.1 Hz, 1H), 1.86 – 1.71 (m, 2H), 1.47 – 1.22 (m, 4H), 0.87 (t, J = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.9, 141.0, 139.6, 132.6, 132.6, 129.8, 124.0, 123.6, 115.3, 81.3, 80.5, 78.9, 39.5, 39.0, 24.9, 23.0, 14.0.

HRMS-ESI (*m/z*): calcd for $C_{17}H_{20}NO_3$ $[M+H]^+$: 286.1443; found: 286.1443.

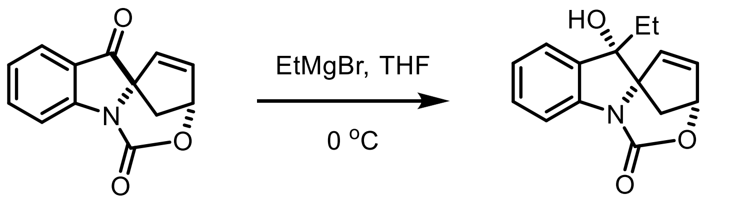


To a solution of **1a´**(350 mg, 1.23 mmol) in EtOH (13 mL) at RT was added sodium hydroxide (492 mg, 12.3 mmol). The reaction was stirred for 3 h at 80 °C and then cooled to RT. The reaction was quenched with saturated ammonium chloride solution and extracted with ethyl acetate (3×26 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1a** (212 mg, 60% yield) as a brown oil.

^{1}H NMR (400 MHz, Methanol-*d*$_4$) δ 7.18 (dd, J = 7.4, 1.2 Hz, 1H), 7.03 (td, J = 7.6, 1.3 Hz, 1H), 6.69 (td, J = 7.4, 1.0 Hz, 1H), 6.59 (d, J = 7.8 Hz, 1H), 6.01 (dd, J = 5.7, 2.1 Hz, 1H), 5.91 (dd, J = 5.7, 1.2 Hz, 1H), 4.68 – 4.66 (m, 1H), 3.60 – 3.52 (m, 2H), 2.50 (dd, J = 14.3, 4.0 Hz, 1H), 2.06 (dd, J = 14.3, 6.9 Hz, 1H), 1.92 – 1.84 (m, 1H), 1.70 – 1.40 (m, 3H), 1.35 – 1.23 (m, 2H), 1.19 (t, J = 7.0 Hz, 3H), 0.90 (t, J = 7.3 Hz, 3H).

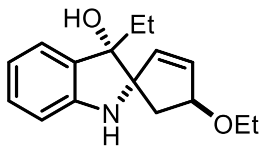
^{13}C NMR (100 MHz, Methanol-*d*$_4$) δ 149.2, 136.6, 133.5, 133.2, 128.3, 123.7, 118.1,

109.8, 82.7, 82.7, 81.0, 64.1, 38.1, 36.2, 25.2, 23.2, 14.4, 13.0.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{26}NO_2$ $[M+H]^+$: 288.1964; found: 288.1958.

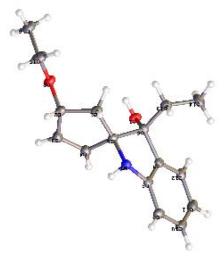


S3 **1b'**

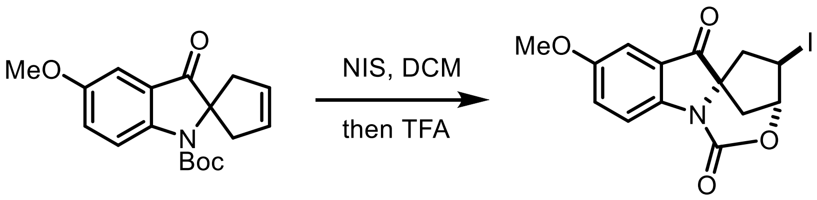
To a solution of **S2** (300 mg, 1.33 mmol) in THF (13.0 mL) at 0 ºC was added EtMgBr (2.66 mL, 2.66 mmol, 1 M in THF). The reaction was stirred at 0 ºC for 2 h. The reaction was quenched with saturated ammonium chloride solution and extracted with ethyl acetate (3×25 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/2 to afford the desired product **1b′** as the major epimer (198 mg, 58% combined yield, dr = 4.5:1).
The major (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.79 (d, J = 8.1 Hz, 1H), 7.35 (dd, J = 7.5, 1.3 Hz, 1H), 7.30 – 7.23 (m, 1H), 7.07 (t, J = 7.5 Hz, 1H), 6.73 (d, J = 5.7 Hz, 1H), 6.27 (dd, J = 5.7, 2.5 Hz, 1H), 5.28 – 5.27 (m, 1H), 3.04 (s, 1H), 2.33 (dd, J = 11.2, 2.7 Hz, 1H), 2.20 (d, J = 11.2 Hz, 1H), 1.90 (dq, J = 14.6, 7.3 Hz, 1H), 1.75 (dq, J = 14.5, 7.4 Hz, 1H), 0.97 (t, J = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.9, 141.2, 139.5, 132.4, 132.3, 129.6, 124.1, 123.5, 115.1, 81.4, 80.4, 78.9, 39.3, 31.9, 7.3.
HRMS-ESI (*m/z*): calcd for $C_{15}H_{16}NO_3$ $[M+H]^+$: 258.1130; found: 258.1129.



1b



The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1b** (58% yield) as a white solid. The relative stereochemistry of 1b was confirmed by X-ray analysis.
^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.25 – 7.21 (m, 1H), 7.09 (td, J = 7.6, 1.3 Hz, 1H), 6.81 (td, J = 7.4, 0.9 Hz, 1H), 6.60 (dd, J = 7.7, 0.8 Hz, 1H), 6.07 (d, J = 5.6 Hz, 1H), 6.03 (dd, J = 5.7, 2.1 Hz, 1H), 4.58 – 4.55 (m, 1H), 3.63 (s, 1H), 3.61 – 3.51 (m, 2H), 2.37 (s, 1H), 2.30 (dd, J = 13.9, 3.2 Hz, 1H), 2.13 (dd, J = 13.9, 5.9 Hz, 1H), 1.91 – 1.71 (m, 2H), 1.23 (t, J = 7.0 Hz, 3H), 0.91 (t, J = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.2, 139.1, 133.0, 132.3, 128.5, 124.6, 119.2, 110.1, 83.3, 82.2, 81.9, 64.3, 37.6, 30.8, 15.6, 7.8.
HRMS-ESI (*m/z*): calcd for $C_{16}H_{22}NO_2$ $[M+H]^+$: 260.1651; found: 260.1630.



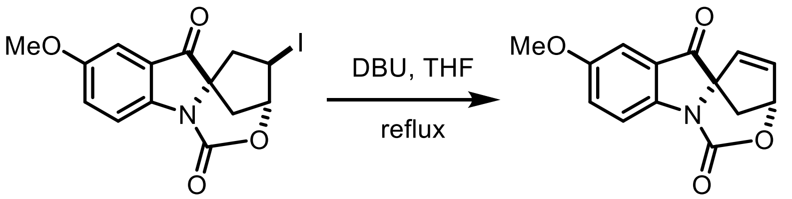
S4 **S5**

The above compound was prepared by following the same procedure as that for **S2**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/4 to afford the desired product **S5** (91% yield) as a white solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.07 (dd, J = 9.2, 2.5 Hz, 1H), 7.34 – 7.25 (m, 1H), 7.17 (d, J = 2.6 Hz, 1H), 5.16 (s, 1H), 4.58 – 4.48 (m, 1H), 3.81 (s, 3H), 2.99 – 2.83 (m, 2H), 2.70 (dt, J = 15.3, 3.5 Hz, 1H), 2.09 (d, J = 12.9 Hz, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 194.3, 157.0, 146.9, 145.1, 127.2, 123.7, 118.1, 104.8, 88.2, 73.6, 55.8, 49.3, 33.6, 18.3.

HRMS-ESI (*m/z*): calcd for $C_{14}H_{13}INO_4$ [M+H]$^+$: 385.9889; found: 385.9896.



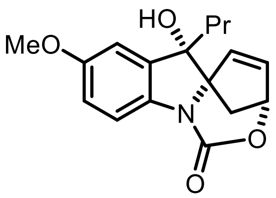
S5 **S6**

The above compound was prepared by following the same procedure as that for **S3**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **S6** (48% yield) as a brown solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.05 (d, J = 9.0 Hz, 1H), 7.32 – 7.25 (m, 1H), 7.16 (d, J = 2.7 Hz, 1H), 6.61 (dd, J = 5.5, 2.5 Hz, 1H), 6.37 (dt, J = 5.5, 0.8 Hz, 1H), 5.47 – 5.42 (m, 1H), 3.80 (s, 3H), 2.57 (dd, J = 11.3, 2.5 Hz, 1H), 2.10 (dd, J = 11.3, 1.1 Hz, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 196.1, 156.7, 147.5, 145.8, 138.3, 136.3, 127.1, 123.4, 118.2, 104.7, 82.4, 73.8, 55.7, 43.2.

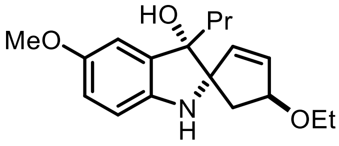
HRMS-ESI (*m/z*): calcd for $C_{14}H_{12}NO_4$ [M+H]$^+$: 258.0766; found: 258.0761.



1c'

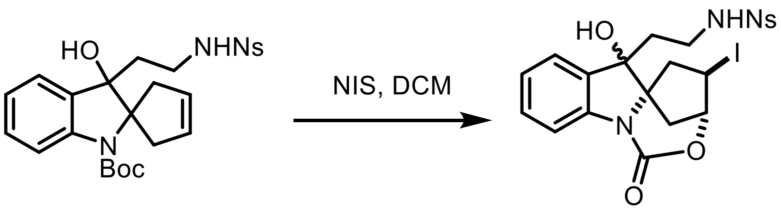
The above compound was prepared by following the same procedure as that for **1b´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/2 to afford the desired product **1c´** as the major epimer (53% combined yield, dr = 6:1).

The major(colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.72 (d, J = 8.8 Hz, 1H), 6.90 (d, J = 2.6 Hz, 1H), 6.80 (dd, J = 8.7, 2.6 Hz, 1H), 6.72 (dd, J = 5.7, 1.0 Hz, 1H), 6.29 (dd, J = 5.7, 2.6 Hz, 1H), 5.29 – 5.28 (m, 1H), 3.79 (s, 3H), 2.80 (s, 1H), 2.31 (dd, J = 11.2, 2.7 Hz, 1H), 2.23 (d, J = 11.1 Hz, 1H), 1.84 – 1.66 (m, 2H), 1.54 – 1.34 (m, 2H), 0.89 (t, J = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 156.3, 148.8, 141.1, 134.1, 133.1, 132.5, 115.8, 114.3, 110.2, 81.2, 80.6, 78.8, 55.7, 41.4, 39.4, 16.2, 14.3.
HRMS-ESI (*m/z*): calcd for $C_{17}H_{20}NO_4$ [M+H]$^+$: 302.1392; found: 302.1389.



1c

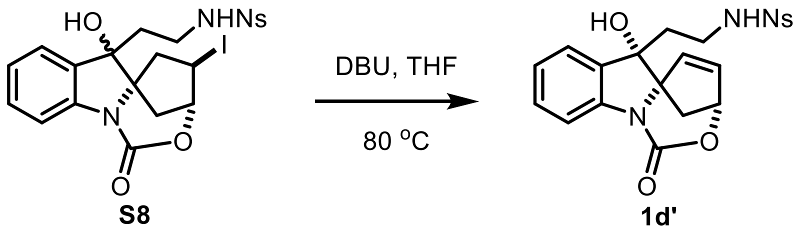
The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1c** (72% yield) as a yellow oil.
^{1}H NMR (400 MHz, Chloroform-*d*) δ 6.84 (d, J = 2.6 Hz, 1H), 6.67 (dd, J = 8.4, 2.6 Hz, 1H), 6.54 (d, J = 8.4 Hz, 1H), 6.08 – 5.98 (m, 2H), 4.56 – 4.53 (m, 1H), 3.76 (s, 3H), 3.60 – 3.53 (m, 2H), 2.52 (s, 1H), 2.29 (dd, J = 14.0, 3.1 Hz, 1H), 2.11 (dd, J = 14.0, 5.8 Hz, 1H), 1.79 (ddd, J = 13.5, 11.8, 5.1 Hz, 1H), 1.65 (ddd, J = 13.5, 11.7, 4.5 Hz, 1H), 1.49 – 1.27 (m, 2H), 1.23 (t, J = 7.0 Hz, 3H), 0.86 (t, J = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 153.7, 141.7, 139.3, 134.1, 132.9, 114.1, 110.9, 110.5, 83.8, 82.1, 81.8, 64.3, 55.9, 40.6, 37.6, 16.6, 15.6, 14.5.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{26}NO_3$ [M+H]$^+$: 304.1913; found: 304.1911.



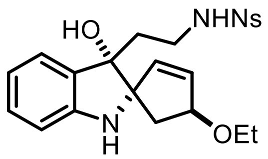
S7 **S8**

To a solution of **S7** (515 mg, 1 mmol) in dichloromethane (10.0 mL) at RT was added *N*-Iodosuccinimide (450 mg, 2.0 mmol,). The reaction was stirred for 4 h at RT. The reaction was quenched with saturated sodium carbonate solution and saturated sodium sulfite solution, and extracted with dichloromethane (3×20 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/2 to afford the desired product **S8** as a mixture of two epimers (497 mg, 85% combined yield, dr = 3:1).
The mixture (yellow solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.24 – 8.16 (m, 0.35H), 8.05 (dd, J = 7.3, 2.0 Hz, 1.03H), 7.94 – 7.83 (m, 1.43H), 7.83 – 7.69 (m,

4.20H), 7.32 (d, J = 7.3 Hz, 0.80H), 7.25 – 7.22 (m, 0.80H)., 7.11 (t, J = 7.6 Hz, 0.36H), 6.98 (d, J = 7.5 Hz, 1.04H), 6.88 (t, J = 7.5 Hz, 1.02H), 6.44 – 6.32 (m, 1.35H), 5.04 (s, 1.02H), 4.90 (s, 0.34H), 4.52 – 4.37 (m, 1.39H), 3.81 (s, 0.91H), 3.59 – 3.44 (m, 0.87H), 3.36 (s, 0.38H), 3.31 – 3.14 (m, 2.13H), 2.82 – 2.74 (m, 1.06H), 2.71 (d, J = 6.8 Hz, 2.06H), 2.67 – 2.55 (m, 0.93H), 2.52 – 2.34 (m, 1.23H), 2.27 – 2.13 (m, 2.13H), 2.10 – 2.00 (m, 0.30H), 1.86 – 1.77 (m, 1.13H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.4, 148.3, 148.0, 148.0, 138.8, 138.0, 133.8, 133.7, 133.2, 133.1, 133.0, 133.0, 132.9, 131.6, 131.2, 131.1, 130.9, 130.2, 125.5, 125.4, 124.5, 123.9, 123.6, 122.9, 116.2, 115.9, 86.4, 85.7, 79.9, 79.7, 79.2, 77.9, 48.9, 46.9, 39.4, 39.0, 36.5, 34.5, 32.0, 30.7, 20.1, 18.8.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{21}IN_3O_7S$ $[M+H]^+$: 586.0145; found: 586.0145.



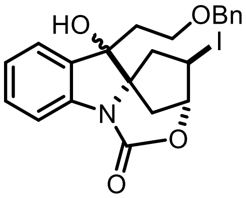
The above compound was prepared by following the same procedure as that for **S3**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/1 to afford the desired product **1d´** and its epimer (83%, dr = 3:1) as a colorless oil.
The major: **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.05 – 8.00 (m, 1H), 7.85 – 7.80 (m, 1H), 7.79 – 7.70 (m, 2H), 7.66 (d, J = 8.0 Hz, 1H), 7.19 (td, J = 7.8, 1.3 Hz, 1H), 7.01 (dd, J = 7.6, 1.3 Hz, 1H), 6.84 (td, J = 7.5, 1.0 Hz, 1H), 6.68 (dd, J = 5.7, 1.1 Hz, 1H), 6.37 (t, J = 5.3 Hz, 1H), 6.29 (dd, J = 5.7, 2.6 Hz, 1H), 5.31 – 5.25 (m, 1H), 4.19 (s, 1H), 3.25 (q, J = 5.1, 3.9 Hz, 2H), 2.35 (dd, J = 11.3, 2.8 Hz, 1H), 2.22 – 2.10 (m, 2H), 1.84 – 1.78 (m, 1H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.1, 147.9, 140.4, 139.2, 133.7, 133.2, 133.0, 132.8, 131.2, 131.0, 130.0, 125.3, 123.8, 123.6, 115.3, 81.5, 80.7, 78.9, 39.3, 39.1, 36.5.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{20}N_3O_7S$ $[M+H]^+$: 458.1022; found: 458.1006.



1d

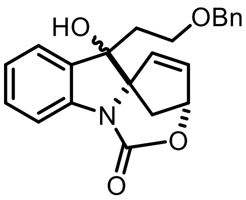
The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/1 to afford the desired product **1d** (60% yield) as a brown oil.
^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.07 – 8.01 (m, 1H), 7.88 – 7.83 (m, 1H), 7.78 – 7.67 (m, 2H), 7.02 (td, J = 7.6, 1.3 Hz, 1H), 6.85 (dd, J = 7.6, 1.3 Hz, 1H), 6.58 – 6.54 (m, 3H), 6.03 (dd, J = 5.6, 2.3 Hz, 1H), 5.93 (d, J = 5.6 Hz, 1H), 4.52 – 4.50 (m, 1H), 3.70 (s, 1H), 3.61 – 3.47 (m, 2H), 3.15 – 3.05 (m, 3H), 2.28 (dd, J = 14.1, 2.7 Hz, 1H),

2.11 – 1.99 (m, 3H), 1.22 (t, *J* = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 148.1, 147.8, 138.3, 134.1, 133.5, 133.3, 132.5, 131.1, 131.0, 128.9, 125.0, 123.7, 119.5, 110.6, 83.6, 81.7, 81.6, 64.5, 39.9, 37.3, 35.7, 15.5.
HRMS-ESI (*m/z*): calcd for $C_{22}H_{26}N_3O_6S$ $[M+H]^+$: 460.1542; found: 460.1554.



S10

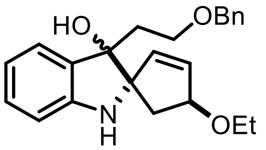
The above compound was prepared by following the same procedure as that for **S8**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **S10** as a mixture of two epimers (96% combined yield, dr = 4:1).
The mixture(colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.89 – 7.85 (m, 0.28H), 7.82 (dd, *J* = 8.0, 0.9 Hz, 0.96H), 7.45 – 7.31 (m, 6.70H), 7.30 – 7.23 (m, 1.65H), 7.13 – 7.00 (m, 2.27H), 5.04 (d, *J* = 3.1 Hz, 2.00H), 4.96 (dd, *J* = 3.0, 1.1 Hz, 0.26H), 4.71 (d, *J* = 11.9 Hz, 0.29H), 4.61 (s, 2.07H), 4.52 – 4.42 (m, 1.29H), 3.99 – 3.87 (m, 0.54H), 3.81 (s, 0.26H), 3.76 – 3.67 (m, 1.02H), 3.67 – 3.60 (m, 1.02H), 2.87 (dd, *J* = 15.5, 5.2 Hz, 1.05H), 2.78 (dd, *J* = 12.6, 2.9 Hz, 1.17H), 2.74 – 2.62 (m, 1.56H), 2.61 – 2.53 (m, 0.46H), 2.42 – 2.36 (m, 0.31H), 2.32 – 2.25 (m, 1.03H), 2.21 (dq, *J* = 12.7, 1.2 Hz, 1.03H), 2.11 – 1.99 (m, 0.31H), 1.75 – 1.65 (m, 1.23H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 148.2, 139.2, 137.9, 137.1, 136.7, 133.6, 133.0, 130.4, 129.4, 128.7, 128.6, 128.3, 128.3, 128.2, 128.1, 124.0, 123.8, 123.8, 122.8, 116.1, 115.6, 86.2, 85.8, 79.6, 79.3, 79.3, 77.9, 73.9, 73.7, 66.0, 65.6, 48.7, 46.4, 36.7, 34.3, 31.6, 30.5, 20.6, 19.6.
HRMS-ESI (*m/z*): calcd for $C_{22}H_{23}INO_4$ $[M+H]^+$: 492.0672; found: 492.0671.



1e'

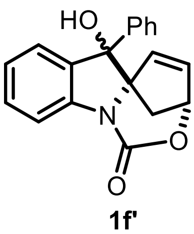
The above compound was prepared by following the same procedure as that for **1d´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/2 to afford the desired product **1e´** as a mixture of two epimers (71% yield, dr = 4:1).
The mixture(colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.88 – 7.85 (m, 1.37H), 7.44 – 7.30 (m, 7.44H), 7.28 (d, *J* = 1.4 Hz, 0.29H), 7.24 (d, *J* = 1.4 Hz, 0.30H), 7.14 (dd, *J* = 7.6, 1.3 Hz, 0.99H), 7.09 (td, *J* = 7.5, 1.1 Hz, 0.41H), 7.03 (td, *J* = 7.5, 1.1 Hz, 1.00H), 6.73 (dd, *J* = 5.7, 1.1 Hz, 1.00H), 6.33 – 6.26 (m, 1.68H), 5.32 – 5.27 (m,

1.03H), 5.24 – 5.19 (m, 0.37H), 5.04 (s, 1.00H), 4.62 (s, 2.05H), 4.59 – 4.50 (m, 0.65H), 4.19 (s, 0.32H), 3.86 – 3.78 (m, 1.72H), 3.69 – 3.65 (m, 1.04H), 2.84 – 2.75 (m, 0.43H), 2.44 – 2.26 (m, 2.44H), 2.25 – 2.13 (m, 1.22H), 2.10 (dd, *J* = 11.9, 2.8 Hz, 0.60H), 1.79 – 1.66 (m, 1.30H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 148.8, 148.4, 141.4, 140.1, 139.7, 139.2, 136.9, 136.8, 133.4, 133.0, 132.5, 132.2, 130.4, 129.4, 128.7, 128.6, 128.3, 128.1, 128.0, 124.0, 123.8, 123.5, 123.2, 115.6, 115.1, 81.1, 80.3, 80.1, 79.9, 78.8, 77.8, 73.9, 73.7, 66.6, 66.2, 40.2, 39.3, 36.5, 35.0.
HRMS-ESI (*m/z*): calcd for $C_{22}H_{22}NO_4$ $[M+H]^+$: 364.1549; found: 364.1566.



1e

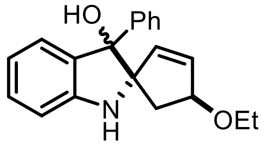
The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/1 to afford the desired product **1e** as a mixture of two epimers (52% yield).
The mixture (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.42 – 7.30 (m, 4.99H), 7.12 – 7.06 (m, 1.87H), 6.80 – 6.76 (m, 1.00H), 6.60 – 6.57 (m, 1.02H), 6.10 (d, *J* = 5.9 Hz, 1.02H), 5.97 (d, *J* = 5.8 Hz, 1.02H), 4.71 – 4.68 (m, 0.90H), 4.55 – 4.52 (m, 2.23H), 4.18 (s, 0.86H), 3.87 – 3.78 (m, 0.44H), 3.75 – 3.69 (m, 0.98H), 3.65 – 3.51 (m, 3.87H), 2.70 (dd, *J* = 14.5, 3.0 Hz, 0.17H), 2.37 – 2.19 (m, 2.81H), 2.13 – 2.03 (m, 0.28H), 2.00 – 1.86 (m, 1.06H), 1.24 (t, *J* = 6.8 Hz, 3.82H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 148.2, 147.9, 137.9, 137.5, 137.4, 137.2, 134.4, 133.2, 132.5, 132.3, 128.7, 128.4, 128.3, 128.2, 127.8, 127.8, 127.7, 127.6, 124.3, 124.1, 119.2, 119.0, 110.1, 109.8, 83.2, 83.0, 82.5, 82.1, 81.7, 81.1, 73.4, 73.2, 67.1, 66.8, 64.5, 64.1, 38.8, 37.6, 35.7, 34.8, 15.5, 15.4.
HRMS-ESI (*m/z*): calcd for $C_{23}H_{28}NO_3$ $[M+H]^+$: 366.2069; found: 366.2072.



1f'

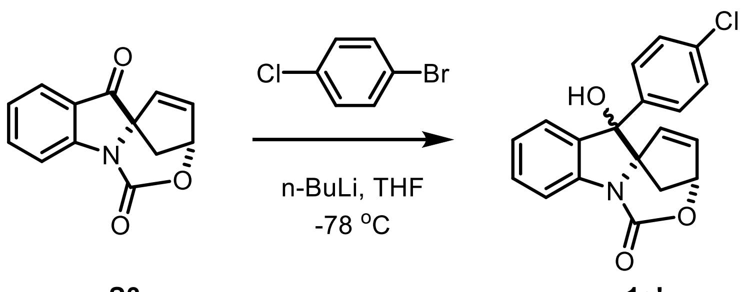
The above compound was prepared by following the same procedure as that for **1a´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1f´** as a mixture of two epimers (86% combined yield, dr = 2.5:1).
The mixture (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.97 – 7.89 (m, 1.37H), 7.53 (*d*, J = 7.2 Hz, 1.00H), 7.46 – 7.35 (m, 2.93H), 7.34 – 7.20 (m, 5.48H), 7.17 – 7.11 (m, 3.42H), 6.94 (d, *J* = 5.6 Hz, 1.05H), 6.34 (dd, *J* = 5.8, 2.5 Hz, 1.00H), 6.06 (dd, *J* = 5.8, 2.5 Hz, 0.42H), 5.87 (d, *J* = 5.7 Hz, 0.40H), 5.32 – 5.24 (m, 0.42H), 5.14 – 5.07

(m, 0.98H), 3.32 (s, 1.00H), 2.69 (s, 0.44H), 2.46 (d, *J* = 11.8 Hz, 0.47H), 2.37 (dd, *J* = 11.8, 2.6 Hz, 0.45H), 1.72 (dd, *J* = 11.7, 2.7 Hz, 1.11H), 1.38 (d, *J* = 11.7 Hz, 1.16H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.0, 148.7, 142.9, 141.4, 140.8, 140.5, 140.4, 139.3, 133.8, 132.9, 132.6, 132.2, 130.9, 130.4, 128.5, 128.4, 128.3, 127.9, 127.3, 125.9, 125.1, 124.5, 124.2, 124.1, 115.9, 115.4, 81.3, 81.2, 81.1, 80.9, 80.7, 42.2, 38.9.
HRMS-ESI (*m/z*): calcd for $C_{19}H_{16}NO_3$ [M+H]$^+$: 306.113; found: 306.1147.



1f

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1f** as a mixture of two epimers (72% yield).
The mixture (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.42 – 7.34 (m, 1.92H), 7.34 – 7.27 (m, 3.29H), 7.25 – 7.22 (m, 1.13H), 7.21 – 7.20 (m, 0.30H), 7.19 – 7.18 (m, 0.40H), 7.17 – 7.15 (m, 0.96H), 7.13 – 7.11 (m, 1.04H), 6.85 – 6.80 (m, 1.33H), 6.75 – 6.72 (m, 1.28H), 6.22 (d, *J* = 5.6 Hz, 1.00H), 6.08 (dd, *J* = 5.6, 2.3 Hz, 0.98H), 5.79 (dd, *J* = 5.7, 2.4 Hz, 0.34H), 5.42 (d, *J* = 5.7 Hz, 0.34H), 4.56 – 4.50 (m, 0.36H), 4.48 – 4.40 (m, 1.00H), 4.11 (s, 0.34H), 3.80 (s, 1.26H), 3.64 – 3.43 (m, 0.83H), 3.39 – 3.23 (m, 2.09H), 3.05 (s, 1.03H), 2.84 (dd, *J* = 14.2, 2.4 Hz, 0.40H), 1.95 (dd, *J* = 14.1, 6.0 Hz, 0.41H), 1.83 – 1.71 (m, 2.09H), 1.25 (t, *J* = 7.0 Hz, 1.44H), 1.15 (t, *J* = 7.0 Hz, 2.98H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.1, 148.9, 143.6, 142.6, 139.9, 138.1, 134.7, 134.1, 133.6, 132.2, 129.2, 129.1, 127.9, 127.7, 127.1, 126.6, 126.1, 125.0, 124.6, 120.1, 119.8, 110.5, 109.9, 84.3, 84.2, 84.1, 83.9, 82.2, 81.4, 64.4, 64.2, 39.9, 39.3, 15.5, 15.4.
HRMS-ESI (*m/z*): calcd for $C_{20}H_{22}NO_2$ [M+H]$^+$: 308.1651; found: 308.1642.



S3 **1g'**

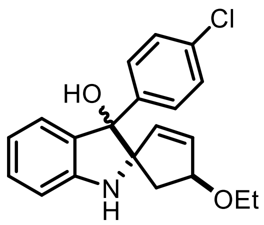
To a solution of 4-bromochlorobenzene (256 mg, 1.34 mmol) in THF (10 mL) at -78 °C was added n-BuLi (0.83 mL, 1.34 mmol, 1.6 M in hexane). After stirring for 0.5 h, **S3** (150 mg, 0.67 mmol, dissolved in 3 mL THF) was added. The mixture was stirred at -78 °C for 2 h. The reaction was quenched with saturated ammonium chloride and extracted with ethyl acetate (3×20 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether =

1/3 to afforded the desired product **1g´** as a mixture of two epimers (190mg, 84% combined yield, dr = 4:1).

The mixture (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.91 – 7.89 (m, 1.23H), 7.50 – 7.45 (m, 0.51H), 7.43 – 7.35 (m, 1.77H), 7.31 – 7.26 (m, 2.22H), 7.22 (dd, J = 7.6, 1.3 Hz, 1.00H), 7.17 – 7.05 (m, 3.14H), 6.92 (d, J = 5.6 Hz, 1.00H), 6.34 (dd, J = 5.7, 2.6 Hz, 0.96H), 6.09 (dd, J = 5.7, 2.6 Hz, 0.25H), 5.85 (d, J = 5.7 Hz, 0.26H), 5.30 – 5.24 (m, 0.24H), 5.16 – 5.06 (m, 0.98H), 3.40 (s, 0.99H), 2.76 (s, 0.26H), 2.49 – 2.40 (m, 0.26H), 2.33 (dd, J = 11.9, 2.7 Hz, 0.26H), 1.71 (dd, J = 11.6, 2.7 Hz, 1.01H), 1.40 (dd, J = 11.6, 1.1 Hz, 1.02H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.0, 148.7, 141.5, 141.3, 140.7, 140.3, 140.2, 137.9, 134.4, 133.9, 133.4, 133.0, 132.5, 132.2, 131.1, 130.6, 128.8, 128.6, 128.5, 127.5, 124.9, 124.7, 124.2, 124.1, 116.0, 115.5, 81.2, 81.0, 80.9, 80.8, 80.8, 80.7, 42.2, 38.9.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{15}ClNO_3$ $[M+H]^+$: 340.0740; found: 340.0740.



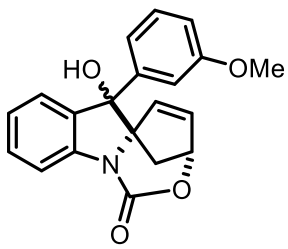
1g

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afforded the desired product **1g** as a mixture of two epimers (73% yield).

The mixture (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.38 – 7.32 (m, 2.29H), 7.29 – 7.23 (m, 2.78H), 7.21 – 7.14 (m, 1.11H), 7.08 (dd, J = 7.5, 1.2 Hz, 0.99H), 6.97 (d, J = 8.6 Hz, 0.08H), 6.81 (td, J = 7.4, 1.0 Hz, 1.07H), 6.73 (d, J = 7.8 Hz, 0.99H), 6.18 (d, J = 5.6 Hz, 1.00H), 6.08 (dd, J = 5.6, 2.3 Hz, 0.94H), 5.82 (dd, J = 5.7, 2.4 Hz, 0.09H), 5.42 (d, J = 5.7 Hz, 0.10H), 4.53 – 4.48 (m, 0.14H), 4.46 – 4.41 (m, 0.94H), 3.81 (s, 0.95H), 3.63 – 3.46 (m, 0.42H), 3.42 – 3.26 (m, 2.02H), 3.23 (s, 0.71H), 2.80 (dd, J = 14.2, 2.3 Hz, 0.11H), 1.93 (dd, J = 14.2, 6.0 Hz, 0.15H), 1.81 (dd, J = 14.2, 5.9 Hz, 1.01H), 1.71 (dd, J = 14.2, 3.1 Hz, 1.00H), 1.25 (t, J = 7.0 Hz, 0.62H), 1.15 (t, J = 7.0 Hz, 2.81H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.7, 142.3, 141.3, 139.7, 137.9, 134.3, 134.2, 133.2, 132.8, 132.5, 129.3, 129.3, 128.0, 128.0, 127.9, 127.9, 127.8, 127.7, 127.6, 124.8, 124.4, 120.2, 119.8, 110.6, 110.0, 84.1, 83.9, 83.9, 83.7, 82.1, 81.3, 64.3, 60.4, 39.9, 39.3, 15.3, 14.1.

HRMS-ESI (*m/z*): calcd for $C_{20}H_{21}ClNO_2$ $[M+H]^+$: 340.1104; found: 340.1124.



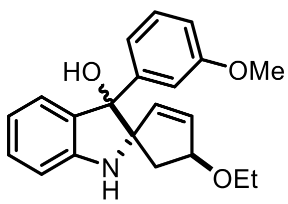
1h'

The above compound was prepared by following the same procedure as that for **1g´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afforded the desired product **1h´** as a mixture of two epimers (76% combined yield, dr = 4:1).

The mixture (yellow solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.86 (d, J = 8.0 Hz, 1.27H), 7.41 – 7.26 (m, 1.93H), 7.29 – 7.17 (m, 2.21H), 7.14 – 7.05 (m, 1.56H), 7.03 (d, J = 7.9 Hz, 0.30H), 6.94 (d, J = 5.7 Hz, 0.97H), 6.89 (d, J = 8.2 Hz, 0.29H), 6.83 – 6.76 (m, 1.97H), 6.60 (d, J = 7.7 Hz, 0.96H), 6.30 (dd, J = 5.4, 2.6 Hz, 0.96H), 6.07 – 6.01 (m, 0.27H), 5.88 (d, J = 5.6 Hz, 0.28H), 5.23 (s, 0.28H), 5.07 (s, 1.00H), 3.79 – 3.77 (m, 1.84H), 3.73 (s, 2.96H), 3.06 (s, 0.29H), 2.42 – 2.30 (m, 0.58H), 1.74 (d, J = 11.7 Hz, 1.02H), 1.41 (d, J = 11.6 Hz, 1.02H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 159.6, 159.5, 149.1, 148.8, 144.7, 141.3, 141.1, 140.7, 140.6, 133.8, 132.7, 132.6, 132.1, 130.7, 130.2, 129.4, 129.3, 125.2, 124.5, 124.3, 124.0, 119.7, 118.6, 115.8, 115.3, 113.3, 113.3, 112.8, 111.9, 81.2, 81.2, 81.1, 81.0, 80.8, 80.7, 55.3, 55.2, 42.1, 38.9.

HRMS-ESI (*m/z*): calcd for $C_{20}H_{18}NO_4$ $[M+H]^+$: 336.1236; found: 336.1243.



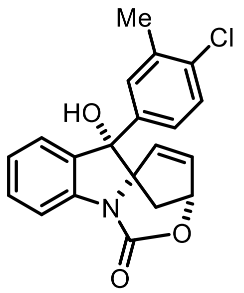
1h

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afforded the desired product **1h** as a mixture of two epimers (65% yield).

The mixture (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.23 – 7.09 (m, 3.94H), 7.03 (dd, J = 2.6, 1.7 Hz, 1.00H), 6.99 – 6.90 (m, 1.29H), 6.89 – 6.69 (m, 4.04H), 6.21 (dd, J = 5.6, 0.7 Hz, 1.00H), 6.08 (dd, J = 5.6, 2.2 Hz, 1.02H), 5.79 (dd, J = 5.7, 2.4 Hz, 0.23H), 5.48 (d, J = 5.7 Hz, 0.24H), 4.56 – 4.50 (m, 0.25H), 4.48 – 4.42 (m, 1.00H), 3.76 (s, 4.49H), 3.61 – 3.44 (m, 0.71H), 3.36 – 3.32 (m, 2.14H), 3.07 (s, 1.02H), 2.82 (dd, J = 14.1, 2.5 Hz, 0.28H), 1.96 (dd, J = 14.1, 6.0 Hz, 0.27H), 1.90 – 1.73 (m, 2.10H), 1.24 (t, J = 7.0 Hz, 1.01H), 1.15 (t, J = 7.0 Hz, 3.13H).

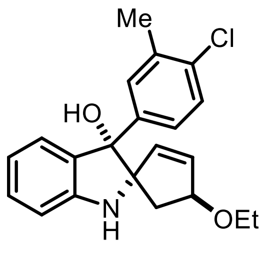
^{13}C NMR (100 MHz, Chloroform-*d*) δ 159.2, 159.1, 149.1, 148.8, 145.4, 144.3, 139.7, 138.1, 134.5, 134.1, 133.4, 132.2, 129.2, 129.1, 128.8, 128.6, 125.0, 124.5, 120.1, 119.7,

119.2, 118.6, 112.6, 112.3, 112.2, 112.0, 110.5, 109.9, 84.2, 84.1, 83.9, 82.2, 81.4, 64.3, 64.2, 55.1, 39.8, 39.4, 15.4, 15.4.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{24}NO_3$ $[M+H]^+$: 338.1756; found: 338.1748.



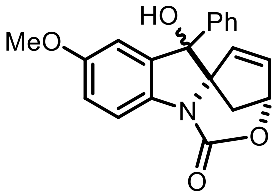
1i'

The above compound was prepared by following the same procedure as that for **1g´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afforded the desired product **1i´** and its epimer (71% combined yield, dr = 6:1). The major (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.91 (d, J = 8.1 Hz, 1H), 7.38 (td, J = 7.8, 1.4 Hz, 1H), 7.28 – 7.24 (m, 1H), 7.21 (dd, J = 7.6, 1.4 Hz, 1H), 7.13 (td, J = 7.5, 1.0 Hz, 1H), 7.03 (d, J = 2.3 Hz, 1H), 6.92 (d, J = 5.6 Hz, 1H), 6.90 – 6.86 (m, 1H), 6.34 (dd, J = 5.7, 2.6 Hz, 1H), 5.14 – 5.08 (m, 1H), 3.32 (s, 1H), 2.32 (s, 3H), 1.72 (dd, J = 11.6, 2.7 Hz, 1H), 1.42 (d, J = 11.6 Hz, 1H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.0, 141.5, 140.7, 140.4, 136.0, 134.1, 133.5, 133.0, 130.6, 128.9, 128.4, 124.9, 124.6, 124.1, 115.6, 81.2, 81.0, 80.8, 42.3, 20.2.
HRMS-ESI (*m/z*): calcd for $C_{20}H_{17}ClNO_3$ $[M+H]^+$: 354.0897; found: 354.0906.



1i

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/4 to afforded the desired product **1i** (72% yield) as a brown oil.
^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.30 (d, J = 2.2 Hz, 1H), 7.22 (d, J = 8.3 Hz, 1H), 7.16 (td, J = 7.7, 1.3 Hz, 1H), 7.13 – 7.07 (m, 2H), 6.81 (td, J = 7.4, 1.0 Hz, 1H), 6.73 (d, J = 7.8 Hz, 1H), 6.18 (d, J = 5.6 Hz, 1H), 6.08 (dd, J = 5.6, 2.3 Hz, 1H), 4.44 – 4.41 (m, 1H), 3.76 (s, 1H), 3.42 – 3.26 (m, 2H), 3.05 (s, 1H), 2.34 (s, 3H), 1.81 (dd, J = 14.2, 5.8 Hz, 1H), 1.73 (dd, J = 14.2, 3.1 Hz, 1H), 1.16 (t, J = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.8, 142.4, 138.1, 135.2, 134.4, 134.2, 133.0, 129.2, 128.5, 128.5, 125.2, 124.4, 120.2, 110.6, 84.2, 83.9, 82.2, 64.3, 39.4, 20.2, 15.4.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{23}ClNO_2$ $[M+H]^+$: 356.1417; found: 356.1401.



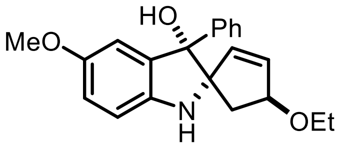
1j'

The above compound was prepared by following the same procedure as that for **1a´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afforded the desired product **1j´** as a mixture of two epimers (82% combined yield, dr = 6.5:1).

The mixture (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.82 – 7.76 (m, 1.15H), 7.54 – 7.49 (m, 0.34H), 7.43 – 7.40 (m, 0.52H), 7.35 – 7.27 (m, 3.92H), 7.18 – 7.10 (m, 1.99H), 6.96 – 6.86 (m, 2.15H), 6.83 (d, *J* = 2.6 Hz, 0.19H), 6.77 (d, *J* = 2.6 Hz, 1.00H), 6.30 (dd, *J* = 5.7, 2.6 Hz, 1.00H), 6.02 (dd, *J* = 5.7, 2.6 Hz, 0.15H), 5.86 (d, *J* = 5.8 Hz, 0.15H), 5.25 – 5.20 (m, 0.15H), 5.11 – 5.05 (m, 1.00H), 3.75 (s, 0.44H), 3.71 (s, 3.01H), 3.65 (s, 1.05H), 3.05 (s, 0.14H), 2.37 (d, *J* = 11.9 Hz, 0.15H), 2.32 (dd, *J* = 11.8, 2.6 Hz, 0.15H), 1.76 – 1.65 (m, 1.41H), 1.35 (dd, *J* = 11.6, 1.1 Hz, 1.01H).

^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 157.0, 156.6, 149.0, 142.8, 140.6, 140.5, 135.0, 134.3, 132.7, 132.0, 128.5, 128.3, 127.9, 127.2, 125.9, 116.6, 116.3, 116.1, 115.9, 110.4, 109.4, 81.3, 81.1, 81.1, 81.0, 55.8, 55.7, 42.2, 38.9.

HRMS-ESI (*m/z*): calcd for $C_{20}H_{18}NO_4$ $[M+H]^+$: 336.1236; found: 336.1233.



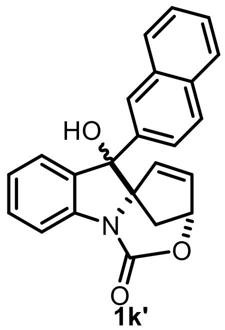
1j

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afforded the desired product **1j** and its epimer (52% yield).

The major (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.38 – 7.36 (m, 2H), 7.32 – 7.20 (m, 3H), 6.77 – 6.66 (m, 3H), 6.20 (dd, *J* = 5.7, 2.5 Hz, 1H), 6.10 – 6.05 (m, 1H), 4.45 – 4.38 (m, 1H), 3.69 (s, 3H), 3.39 – 3.24 (m, 3H), 1.84 – 1.65 (m, 2H), 1.17 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 154.3, 143.6, 142.4, 138.6, 136.0, 133.9, 127.9, 127.0, 126.0, 115.5, 111.6, 109.5, 84.6, 84.4, 82.1, 64.2, 55.7, 39.1, 15.4.

HRMS-ESI (*m/z*): calcd for $C_{21}H_{24}NO_3$ $[M+H]^+$: 338.1756; found: 338.1761.



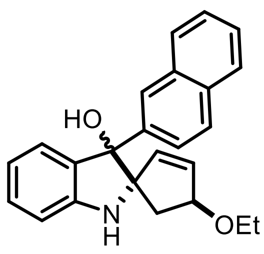
1k'

The above compound was prepared by following the same procedure as that for **1g´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1k´** as a mixture of two epimers (82% combined yield, dr = 7:1).

The mixture (white foam): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 8.05 – 7.99 (m, 0.13H), 7.95 (d, *J* = 8.1 Hz, 1.08H), 7.87 – 7.85 (m, 0.30H), 7.84 – 7.80 (m, 1.11H), 7.79 – 7.70 (m, 1.99H), 7.62 (s, 0.89H), 7.59 – 7.57 (m, 0.23H), 7.56 – 7.53 (m, 0.25H), 7.52 – 7.45 (m, 2.06H), 7.42 – 7.39 (m, 1.04H), 7.33 – 7.29 (m, 0.29H), 7.26 – 7.20 (m, 2.96H), 7.18 – 7.13 (m, 0.17H), 7.12 (td, *J* = 7.5, 1.0 Hz, 1.05H), 6.98 (d, *J* = 5.6 Hz, 1.02H), 6.29 (dd, *J* = 5.7, 2.5 Hz, 1.00H), 5.97 (dd, *J* = 5.8, 2.5 Hz, 0.13H), 5.86 (d, *J* = 5.7 Hz, 0.14H), 5.24 – 5.20 (m, 0.15H), 5.06 – 5.01 (m, 1.01H), 3.72 (s, 1.02H), 2.96 (s, 0.13H), 2.51 – 2.37 (m, 0.26H), 1.71 (dd, *J* = 11.7, 2.7 Hz, 1.09H), 1.37 (d, *J* = 11.6 Hz, 1.10H).

^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 149.1, 148.9, 141.5, 140.8, 140.7, 140.5, 140.4, 136.9, 134.0, 133.0, 132.9, 132.8, 132.7, 132.2, 130.9, 130.4, 128.4, 128.3, 128.2, 128.1, 127.6, 127.5, 126.6, 126.6, 126.5, 126.4, 126.4, 125.2, 124.9, 124.8, 124.6, 124.4, 124.1, 124.1, 116.0, 115.5, 81.4, 81.2, 81.1, 80.9, 80.9, 42.2, 39.1.

HRMS-ESI (*m/z*): calcd for $C_{23}H_{18}NO_3$ $[M+H]^+$: 356.1287; found: 356.1287.

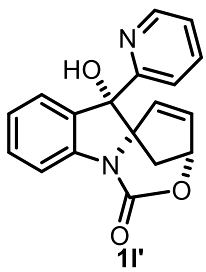


1k

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1k** as a mixture of two epimers (58% yield).

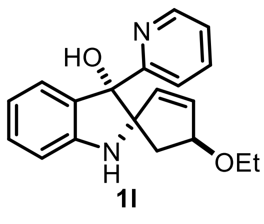
The mixture (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 7.98 (s, 0.99H), 7.86 – 7.77 (m, 2.72H), 7.77 – 7.71 (m, 1.27H), 7.52 – 7.40 (m, 3.73H), 7.25 – 7.12 (m, 2.39H), 6.88 – 6.75 (m, 2.40H), 6.27 (d, *J* = 5.6 Hz, 1.00H), 6.09 (dd, *J* = 5.6, 2.3 Hz, 0.99H), 5.76 (dd, *J* = 5.7, 2.3 Hz, 0.18H), 5.50 (d, *J* = 5.6 Hz, 0.17H), 4.57 – 4.50 (m, 0.18H), 4.42 – 4.41 (m, 1.00H), 4.27 (s, 0.18H), 3.85 (s, 1.06H), 3.61 – 3.46 (m, 0.49H), 3.34 – 3.17 (m, 2.96H), 2.93 (dd, *J* = 14.2, 2.4 Hz, 0.19H), 1.99 (dd, *J* = 14.2, 6.0 Hz, 0.20H),

1.84 (dd, J = 14.2, 5.7 Hz, 1.00H), 1.78 (dd, J = 14.2, 3.3 Hz, 1.04H), 1.26 (t, J = 7.0 Hz, 0.82H), 1.10 (t, J = 7.0 Hz, 3.00H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.2, 148.9, 141.6, 140.4, 139.9, 138.3, 134.6, 133.9, 133.6, 133.0, 132.9, 132.8, 132.6, 132.3, 129.3, 129.2, 128.6, 128.4, 128.4, 128.0, 127.9, 127.5, 127.5, 127.4, 127.3, 127.2, 126.3, 126.1, 126.1, 125.9, 125.8, 125.7, 125.2, 125.1, 125.0, 124.6, 124.1, 123.3, 120.6, 120.1, 119.8, 110.5, 110.0, 84.4, 84.2, 84.0, 82.2, 81.4, 64.3, 64.2, 40.1, 39.4, 15.4, 15.3.
HRMS-ESI (*m/z*): calcd for $C_{24}H_{24}NO_2$ $[M+H]^+$: 358.1807; found: 358.1797.



1l'

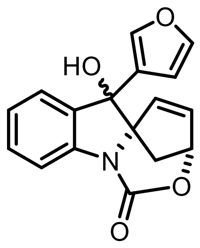
The above compound was prepared by following the same procedure as that for **1g´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/2 to afford the desired product **1l´** and its epimer (82% combined yield, dr = 5:1).
The major (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.65 – 8.63 (m, 1H), 7.98 (d, J = 8.1 Hz, 1H), 7.78 (td, J = 7.7, 1.8 Hz, 1H), 7.42 – 7.41 (m, 1H), 7.40 – 7.28 (m, 2H), 7.19 (dd, J = 7.8, 1.3 Hz, 1H), 7.13 (td, J = 7.4, 1.1 Hz, 1H), 6.16 – 7.14 (m, 1H), 5.90 – 5.88 (m, 1H), 5.74 (s, 1H), 5.28 – 5.27 (m, 1H), 2.46 (d, J = 11.8 Hz, 1H), 2.30 (dd, J = 11.8, 2.7 Hz, 1H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 158.1, 148.7, 148.5, 142.2, 139.6, 137.1, 133.2, 131.1, 130.9, 125.5, 124.2, 123.5, 122.3, 115.8, 80.7, 80.6, 80.3, 39.5.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{15}N_2O_3$ $[M+H]^+$: 307.1083; found: 307.1082.



1l

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1l** (80% yield) as a yellow oil.
^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.55 – 8.50 (m, 1H), 7.56 (td, J = 7.7, 1.8 Hz, 1H), 7.21 – 7.10 (m, 3H), 7.07 (dd, J = 7.5, 1.2 Hz, 1H), 6.78 (t, J = 7.4 Hz, 1H), 6.69 (d, J = 7.8 Hz, 1H), 6.38 – 6.30 (m, 2H), 5.97 (dd, J = 5.7, 1.8 Hz, 1H), 4.61 – 4.58 (m, 1H), 3.71 (s, 1H), 3.53 – 3.32 (m, 2H), 1.90 (dd, J = 13.7, 6.5 Hz, 1H), 1.41 (dd, J = 13.7, 5.8 Hz, 1H), 1.14 (t, J = 7.0 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 160.3, 149.1, 146.7, 137.3, 137.0, 133.2, 132.6, 129.2, 124.5, 122.5, 121.8, 120.0, 110.4, 83.3, 83.0, 82.6, 64.1, 39.3, 15.4.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{21}N_2O_2$ $[M+H]^+$: 309.1603; found: 309.1595.



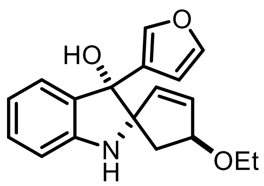
1m'

The above compound was prepared by following the same procedure as that for **1g´**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1m´** as a mixture of two epimers (88% combined yield, dr = 5:1).

The mixture (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96 – 7.86 (m, 1.18H), 7.53 – 7.48 (m, 0.41H), 7.43 – 7.30 (m, 3.37H), 7.15 – 7.11 (m, 1.25H), 7.04 (t, J = 1.2 Hz, 0.94H), 6.88 (d, *J* = 5.7 Hz, 1.00H), 6.41 – 6.40 (m, 0.20H), 6.37 (dd, *J* = 5.7, 2.6 Hz, 0.99H), 6.23 – 6.22 (m, 0.97H), 6.19 (dd, *J* = 5.7, 2.6 Hz, 0.27H), 6.07 (d, *J* = 5.6 Hz, 0.23H), 5.34 – 2.31 (m, 0.21H), 5.22 – 5.20 (m, 1.00H), 3.02 (s, 0.97H), 2.51 (s, 0.20H), 2.45 (d, *J* = 11.8 Hz, 0.23H), 2.38 (dd, *J* = 11.8, 2.6 Hz, 0.24H), 2.06 (dd, *J* = 11.5, 2.7 Hz, 1.03H), 1.73 (dd, *J* = 11.6, 1.1 Hz, 1.04H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 149.0, 148.7, 143.8, 143.8, 141.0, 140.8, 140.7, 140.4, 140.0, 139.9, 133.0, 132.9, 132.5, 132.2, 131.1, 130.4, 129.4, 125.5, 124.4, 124.2, 124.0, 115.8, 115.4, 109.7, 108.9, 81.2, 81.2, 80.7, 80.5, 77.3, 77.2, 42.0, 39.0.

HRMS-ESI (*m/z*): calcd for $C_{17}H_{14}NO_4$ $[M+H]^+$: 296.0923; found: 296.0915.



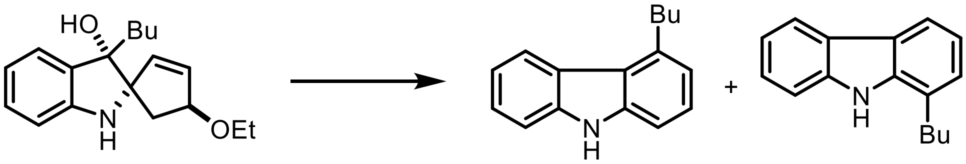
1m

The above compound was prepared by following the same procedure as that for **1a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **1m** and its epimer (60% yield).

The major (brown oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.33 – 7.29 (m, 2H), 7.20 (dd, *J* = 7.4, 1.2 Hz, 1H), 7.13 (td, *J* = 7.7, 1.3 Hz, 1H), 6.81 (td, *J* = 7.5, 1.0 Hz, 1H), 6.69 (d, *J* = 7.8 Hz, 1H), 6.33 – 6.29 (m, 1H), 6.16 (d, *J* = 5.7 Hz, 1H), 6.10 (dd, *J* = 5.7, 2.3 Hz, 1H), 4.49 – 4.48 (m, 1H), 3.76 (s, 1H), 3.43 (q, *J* = 7.0 Hz, 2H), 3.18 (s, 1H), 2.05 (dd, *J* = 14.2, 2.8 Hz, 1H), 1.92 (dd, *J* = 14.1, 5.9 Hz, 1H), 1.18 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 148.3, 142.9, 139.1, 138.1, 134.2, 133.7, 129.9, 129.1, 124.1, 120.0, 110.5, 109.6, 83.8, 82.1, 80.8, 64.3, 39.5, 15.4.

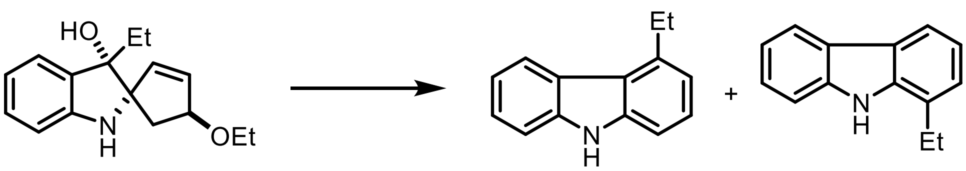
HRMS-ESI (*m/z*): calcd for $C_{18}H_{20}NO_3$ $[M+H]^+$: 298.1443; found: 298.1441.



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

Condition 1: To a solution of **1a** (0.1 mmol) in CH_3CN (1 mL) at 0 ºC was added trifluoroacetic acid (8 µL, 0.1 mmol). The reaction was stirred for 12 h at 0 ºC. The mixture was concentrated under reduced pressure and purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2a** and **3a** (78% yield, **2a**:**3a** = 3:1).
Condition 2: To a solution of **1a** (0.1 mmol) in 1,2-dichloroethane (1 mL) at RT was added **4c** (20 mg, 0.02 mmol). The reaction was stirred for 12 h at RT. The mixture was concentrated under reduced pressure and purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2a** and **3a** (80% yield, **2a**:**3a** < 1:10).

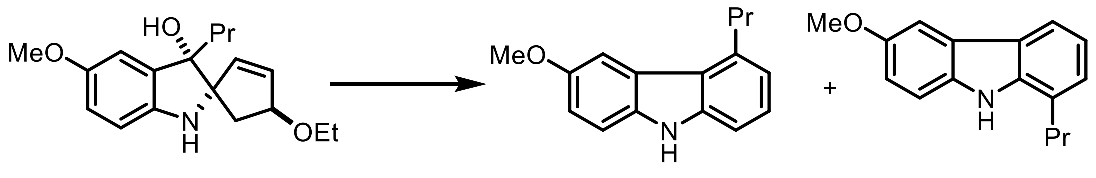
2a (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.12 (d, J = 7.9 Hz, 1H), 8.08 (s, 1H), 7.48 – 7.39 (m, 2H), 7.37 – 7.32 (m, 1H), 7.31 – 7.23 (m, 2H), 7.03 (d, J = 7.1 Hz, 1H), 3.29 – 3.18 (m, 2H), 1.84 (tt, J = 7.8, 6.6 Hz, 2H), 1.59 – 1.54 (m, 2H), 1.01 (t, J = 7.4 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 139.8, 139.4, 138.4, 125.6, 125.1, 123.3, 122.6, 121.2, 120.1, 119.4, 110.4, 108.1, 34.2, 31.9, 22.9, 14.1.
HRMS-ESI (*m/z*): calcd for $C_{16}H_{18}N$ $[M+H]^+$: 224.1439; found: 224.1432.
3a (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.08 (d, J = 7.8 Hz, 1H), 7.99 (s, 1H), 7.95 (d, J = 7.6 Hz, 1H), 7.47 (d, J = 8.0 Hz, 1H), 7.45 – 7.39 (m, 1H), 7.27 – 7.17 (m, 3H), 2.91 (t, J = 7.7 Hz, 2H), 1.83 – 1.74 (m, 2H), 1.54 – 1.41 (m, 2H), 0.99 (t, J = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 139.3, 138.3, 125.6, 125.4, 124.6, 123.8, 123.0, 120.4, 119.5, 119.4, 117.9, 110.6, 31.7, 31.2, 22.7, 14.0.
HRMS-ESI (*m/z*): calcd for $C_{16}H_{18}N$ $[M+H]^+$: 224.1439; found: 224.1433.



1b **2b** **3b**

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2b** and **3b** (85% yield, **2b**:**3b** = 3:1).
Condition 2: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2b** and **3b** (82% yield, **2b**:**3b** < 1:10).

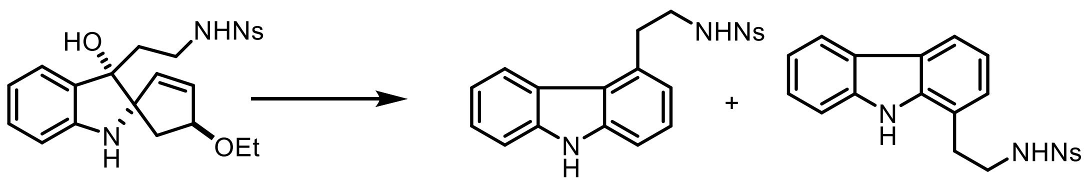
2b (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.16 (d, *J* = 7.9 Hz, 1H), 8.08 (s, 1H), 7.47 – 7.42 (m, 2H), 7.40 – 7.34 (m, 1H), 7.33 – 7.23 (m, 2H), 7.07 (d, *J* = 7.2 Hz, 1H), 3.29 (q, *J* = 7.5 Hz, 2H), 1.48 (t, *J* = 7.5 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 139.7, 139.5, 125.8, 125.1, 123.3, 122.7, 121.1, 119.4, 119.0, 110.4, 108.2, 27.3, 14.1.
HRMS-ESI (*m/z*): calcd for $C_{14}H_{14}N$ $[M+H]^+$: 196.1126; found: 196.1119.
3b (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.08 (d, *J* = 7.8 Hz, 1H), 7.99 (s, 1H), 7.95 (d, *J* = 7.7 Hz, 1H), 7.47 (d, *J* = 8.1 Hz, 1H), 7.42 (t, *J* = 7.5 Hz, 1H), 7.30 – 7.15 (m, 3H), 2.95 (q, *J* = 7.6 Hz, 2H), 1.43 (t, *J* = 7.6 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 139.4, 138.2, 125.9, 125.6, 124.4, 123.9, 123.0, 120.4, 119.7, 119.4, 117.9, 110.6, 24.2, 13.8.
HRMS-ESI (*m/z*): calcd for $C_{14}H_{14}N$ $[M+H]^+$: 196.1126; found: 196.1124.



1c **2c** **3c**

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2c** and **3c** (75% yield, **2c**:**3c** = 2.5:1).
Condition 2: The reaction was stirred for 12 h at 50 ºC. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2c** and **3c** (60% yield, **2c**:**3c** < 1:10).

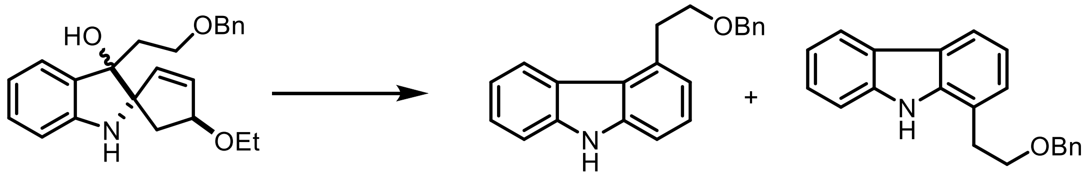
2c (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.91 – 7.85 (m, 2H), 7.54 (d, *J* = 2.4 Hz, 1H), 7.36 (d, *J* = 8.7 Hz, 1H), 7.22 (d, *J* = 7.2 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 7.06 (dd, *J* = 8.9, 2.5 Hz, 1H), 3.93 (s, 3H), 2.86 (t, *J* = 7.7 Hz, 2H), 1.82 (h, *J* = 7.4 Hz, 2H), 1.04 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 153.8, 139.2, 134.2, 125.4, 124.6, 124.2, 123.0, 119.2, 117.9, 114.9, 111.3, 103.1, 56.0, 33.5, 22.7, 14.2.
HRMS-ESI (*m/z*): calcd for $C_{16}H_{18}NO$ $[M+H]^+$: 240.1388; found: 240.1383.
3c (white solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96 (s, 1H), 7.62 (d, *J* = 2.4 Hz, 1H), 7.33 (dd, *J* = 13.8, 8.1 Hz, 2H), 7.28 – 7.24 (m, 1H), 7.08 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.99 (d, *J* = 7.1 Hz, 1H), 3.94 (s, 3H), 3.23 – 3.14 (m, 2H), 1.89 (h, *J* = 7.4 Hz, 2H), 1.12 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 153.6, 140.7, 138.1, 134.4, 125.6, 123.7, 121.2, 119.8, 113.6, 110.8, 108.4, 106.4, 56.1, 36.5, 23.0, 14.3.
HRMS-ESI (*m/z*): calcd for $C_{16}H_{18}NO$ $[M+H]^+$: 240.1388; found: 240.138.



1d **2d** **3d**

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/4 to afford the desired product **2d** and **3d** (68% yield, **2d**:**3d** = 3.5:1).
Condition 2: The reaction was stirred for 12 h at 50 °C. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/4 to afford the desired product **2d** and **3d** (61% yield, **2d**:**3d** < 1:10).

2d (yellow solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.10 (s, 1H), 7.99 – 7.93 (m, 2H), 7.70 (d, *J* = 1.4 Hz, 1H), 7.55 (td, *J* = 7.7, 1.5 Hz, 1H), 7.49 (td, *J* = 7.6, 1.4 Hz, 1H), 7.45 – 7.40 (m, 2H), 7.33 – 7.28 (m, 2H), 7.23 – 7.19 (m, 1H), 6.97 – 6.95 (m, 1H), 5.43 (t, *J* = 5.8 Hz, 1H), 3.64 (q, *J* = 6.7 Hz, 2H), 3.48 (t, *J* = 7.1 Hz, 2H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 147.5, 140.0, 139.5, 133.5, 133.2, 132.5, 132.4, 130.9, 126.0, 125.6, 125.2, 122.5, 122.1, 121.0, 119.8, 110.7, 109.6, 43.2, 34.3.
HRMS-ESI (*m/z*): calcd for $C_{20}H_{18}N_3O_4S$ [M+H]$^+$: 396.1018; found: 396.1014.
3d (yellow solid): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.40 (s, 1H), 8.01 (d, *J* = 7.8 Hz, 1H), 7.97 – 7.88 (m, 2H), 7.68 – 7.62 (m, 1H), 7.56 – 7.49 (m, 2H), 7.49 – 7.39 (m, 2H), 7.26 – 7.21 (m, 1H), 7.18 – 7.09 (m, 2H), 5.61 (t, *J* = 6.0 Hz, 1H), 3.55 (q, *J* = 6.8 Hz, 2H), 3.20 (t, *J* = 7.1 Hz, 2H).
^{13}C NMR (100 MHz, Chloroform-*d*) δ 147.5, 139.5, 138.5, 133.5, 133.3, 132.7, 130.3, 126.0, 126.0, 125.2, 123.5, 120.3, 119.7, 119.6, 119.6, 119.2, 110.9, 44.0, 32.7.
HRMS-ESI (*m/z*): calcd for $C_{20}H_{18}N_3O_4S$ [M+H]$^+$: 396.1018; found: 396.1015.

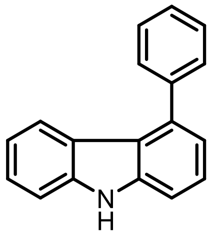


1e **2e** **3e**

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/20 to afford the desired product **2e** and **3e** (70% yield, **2e**:**3e** = 2.7:1).
Condition 2: The reaction was stirred for 12 h at 50 °C. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/20 to afford the desired product **2e** and **3e** (60% yield, **2e**:**3e** < 1:10).

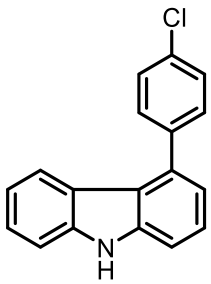
2e (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.16 – 8.07 (m, 2H), 7.46 – 7.39 (m, 2H), 7.43 – 7.25 (m, 7H), 7.29 – 7.19 (m, 1H), 7.08 (d, *J* = 1.3 Hz, 1H), 4.60 (s, 2H), 3.96 – 3.91 (m, 2H), 3.59 (t, *J* = 7.7 Hz, 2H).

^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 139.8, 139.5, 138.4, 133.8, 128.4, 127.7, 127.6, 125.7, 125.3, 123.1, 122.4, 121.6, 120.8, 119.6, 110.5, 108.8, 73.1, 69.8, 34.8.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{20}NO$ $[M+H]^+$: 302.1545; found: 302.1543.
3e (colorless oil): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 9.29 (s, 1H), 8.13 – 8.06 (m, 1H), 8.01 (dd, *J* = 7.5, 1.3 Hz, 1H), 7.47 – 7.31 (m, 6H), 7.27 – 7.15 (m, 4H), 4.57 (s, 2H), 4.09 – 3.85 (m, 2H), 3.27 (t, *J* = 5.4 Hz, 2H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 139.7, 137.7, 128.6, 128.1, 128.0, 126.5, 125.5, 123.6, 123.4, 123.1, 120.2, 119.1, 119.0, 118.7, 110.9, 73.7, 72.1, 34.1.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{20}NO$ $[M+H]^+$: 302.1545; found: 302.1543.



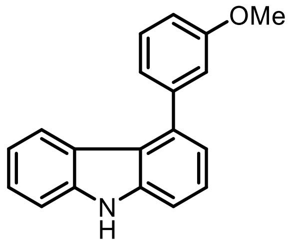
2f

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2f** (87% yield) as a white solid.
^{1}H NMR (400 MHz, Chloroform-*d*) *δ* 8.06 (s, 1H), 7.71 – 7.65 (m, 2H), 7.61 – 7.46 (m, 5H), 7.43 – 7.38 (m, 3H), 7.16 (dd, *J* = 7.2, 1.1 Hz, 1H), 7.06 – 7.00 (m, 1H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 141.2, 139.8, 139.7, 137.7, 129.2, 128.4, 127.5, 125.6, 125.6, 122.9, 122.4, 121.1, 120.7, 119.0, 110.4, 109.5.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{14}N$ $[M+H]^+$: 244.1126; found: 244.1121.



2g

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2g** (82% yield) as a white solid.
^{1}H NMR (400 MHz, Chloroform-*d*) *δ* 8.16 (s, 1H), 7.60 – 7.55 (m, 2H), 7.55 – 7.47 (m, 3H), 7.48 – 7.41 (m, 3H), 7.38 – 7.36 (m, 1H), 7.08 (dd, J = 6.1, 2.2 Hz, 1H), 7.05 – 7.01 (m, 1H).
^{13}C NMR (100 MHz, Chloroform-*d*) *δ* 139.8, 139.7, 139.6, 136.3, 133.4, 130.5, 128.6, 125.8, 125.6, 122.6, 122.2, 121.0, 120.6, 119.1, 110.5, 109.8.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{13}ClN$ $[M+H]^+$: 278.0737; found: 278.0736.



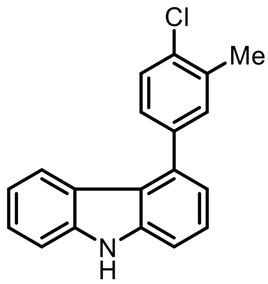
2h

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2h** (88% yield) as a colorless oil.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.12 (s, 1H), 7.57 (dt, J = 8.1, 1.0 Hz, 1H), 7.50 – 7.34 (m, 5H), 7.28 – 7.23 (m, 1H), 7.21 – 7.20 (m, 1H), 7.15 (dd, J = 7.1, 1.2 Hz, 1H), 7.09 – 6.99 (m, 2H), 3.87 (s, 3H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 159.5, 142.6, 139.7, 139.6, 137.5, 129.4, 125.7, 125.5, 122.8, 122.5, 121.6, 120.9, 120.6, 119.0, 114.3, 113.5, 110.4, 109.6, 55.3.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{16}NO$ $[M+H]^+$: 274.1232; found: 274.1231.



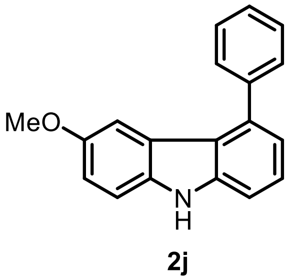
2i

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2i** (78% yield) as a white solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.13 (s, 1H), 7.55 – 7.48 (m, 3H), 7.48 – 7.34 (m, 5H), 7.09 (dd, J = 6.7, 1.5 Hz, 1H), 7.05 – 7.01 (m, 1H), 2.49 (s, 3H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 139.8, 139.8, 139.7, 136.6, 136.0, 133.6, 131.7, 129.0, 127.9, 125.8, 125.6, 122.8, 122.3, 121.0, 120.6, 119.2, 110.5, 109.7, 20.1.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{15}ClN$ $[M+H]^+$: 292.0893; found: 292.0901.



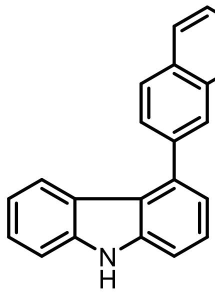
2j

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2j** (89% yield) as a white solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.00 (s, 1H), 7.65 (d, J = 7.4 Hz, 2H), 7.59 – 7.31 (m, 6H), 7.16 – 6.90 (m, 3H), 3.64 (s, 3H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 153.1, 141.0, 140.5, 137.6, 134.5, 129.3, 128.2, 127.5, 125.5, 123.3, 120.7, 120.5, 114.8, 111.0, 109.7, 105.2, 55.5.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{16}NO$ [M+H]$^+$: 274.1232; found: 274.1228.



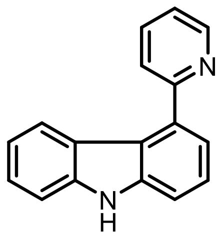
2k

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **2k** (75% yield) as a yellow soil.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.16 – 8.09 (m, 2H), 8.06 – 7.98 (m, 2H), 7.97 – 7.92 (m, 1H), 7.82 (dd, J = 8.3, 1.7 Hz, 1H), 7.62 – 7.56 (m, 2H), 7.52 (dd, J = 8.1, 6.5 Hz, 2H), 7.48 – 7.34 (m, 3H), 7.27 – 7.22 (m, 1H), 6.96 (ddd, J = 8.1, 6.9, 1.2 Hz, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 139.9, 139.7, 138.8, 137.6, 133.5, 132.8, 128.2, 127.8, 127.8, 127.7, 126.2, 126.0, 125.7, 122.9, 122.5, 121.4, 120.9, 119.1, 110.4, 109.6.

HRMS-ESI (*m/z*): calcd for $C_{22}H_{16}N$ [M+H]$^+$: 294.1283; found: 294.1283.



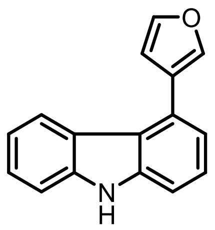
2l

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **2l** (89% yield) as a yellow solid.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.54 (m, 1H), 8.54 (s, 1H), 7.87 (td, J = 7.7, 1.9 Hz, 1H), 7.73 (dt, J = 7.8, 1.2 Hz, 1H), 7.63 – 7.57 (m, 1H), 7.48 – 7.27 (m, 6H), 7.04 – 7.00 (m, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 159.5, 149.4, 140.1, 139.9, 136.5, 136.0, 125.7, 125.5, 124.2, 122.7, 122.4, 122.4, 121.0, 120.4, 118.9, 110.8, 110.5.

HRMS-ESI (*m/z*): calcd for $C_{17}H_{13}N_2$ [M+H]$^+$: 245.1079; found: 245.1077.



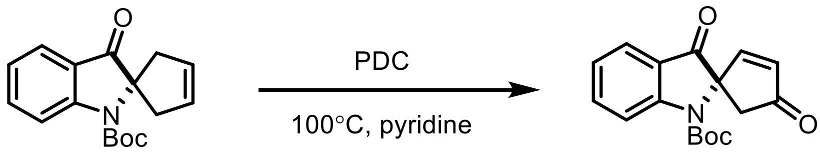
2m

Condition 1: Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/5 to afford the desired product **2m** (64% yield) as a brown oil.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.12 (s, 1H), 7.96 (d, J = 8.0 Hz, 1H), 7.72 (s, 1H), 7.64 (t, J = 1.7 Hz, 1H), 7.45 – 7.36 (m, 4H), 7.17 – 7.08 (m, 2H), 6.79 (dd, J = 1.8, 0.9 Hz, 1H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 142.8, 140.0, 139.9, 139.6, 128.1, 125.7, 125.6, 125.4, 123.0, 122.5, 121.4, 121.3, 119.2, 112.2, 110.4, 109.8.

HRMS-ESI (*m/z*): calcd for $C_{16}H_{12}NO$ [M+H]$^{+}$: 234.0919; found: 234.0922.



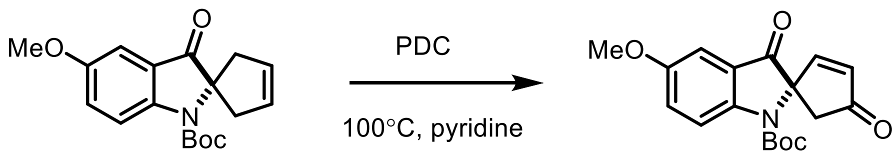
7 **8**

The corresponding compound **7** (0.3 mmol) was dissolved in pyridine (3.0 mL), followed by the addition of PDC (1.5 mmol). Then, the reaction mixture was stirred for 10h at 100°C. After completion, the reaction solution was concentrated under reduced pressure. The crude products were purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/5 to afford the desired product **8** (55% yield) as a yellow solid.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.77 (d, J = 7.7 Hz, 1H), 7.72 (t, J = 7.8 Hz, 1H), 7.21 (dd, J = 15.1, 6.7 Hz, 2H), 6.48 (d, J = 5.5 Hz, 1H), 2.90 (d, J = 17.8 Hz, 1H), 2.70 (d, J = 17.8 Hz, 1H), 1.50 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 205.1, 196.0, 158.4, 150.1, 138.1, 136.1, 124.8, 123.7, 121.8, 117.1, 83.8, 74.8, 43.3, 28.1.

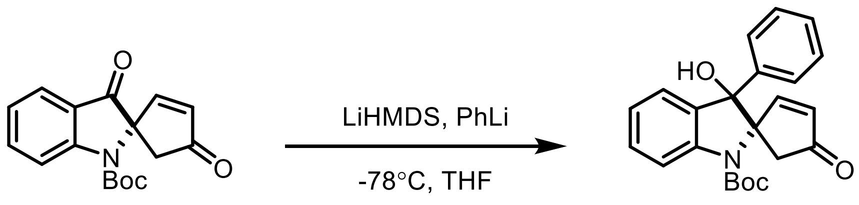
HRMS-ESI (*m/z*): calcd for $C_{17}H_{16}NO_4$ [M-H]$^{+}$: 298.1079; found: 298.1083.



7a **8a**

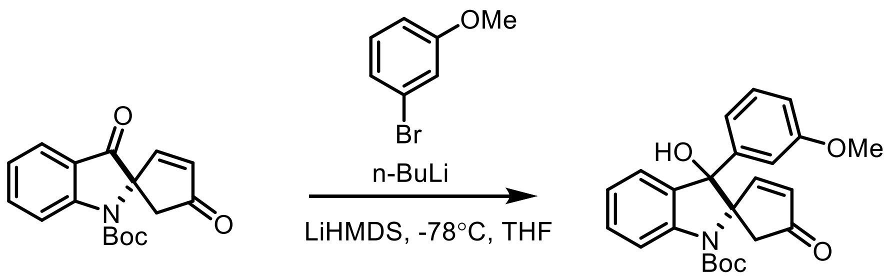
The above compound **7a** was prepared by following the same procedure as that for **8a**. Purification by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/5 to afford the desired product 8a (51% yield) as a white solid.

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.30 (s, 1H), 7.39 – 7.29 (m, 1H), 7.21 (d, J = 5.9 Hz, 2H), 6.50 (d, J = 5.5 Hz, 1H), 3.87 (s, 3H), 2.93 (d, J = 17.0 Hz, 1H), 2.73 (d, J = 17.8 Hz, 1H), 1.52 (s, 9H).
^{13}C NMR (100 MHz, CDCl$_3$) δ 205.1, 195.9, 158.5, 156.3, 150.0, 136.0, 127.4, 122.5, 118.3, 105.1, 83.5, 75.1, 55.8, 43.3, 28.1.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{20}NO_5$ [M+H]$^+$: 330.1341; found: 330.1346.



8 **5a**

To a solution of **8** (90 mg, 0.30 mmol) in THF (3.0 mL) at -78℃ under N_2 were added LiHMDS (0.35mL, 0.45 mmol, 1.3 M in THF), and then PhLi (0.23 mL, 0.45 mmol, 2.0 M in dibutyl ether). The mixture was stirred for 2 h. After completion, the reaction was quenched with saturated NH_4Cl solution and extracted with ethyl acetate (3×20 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/dichloromethane/petroleum ether = 1/3/2 to yield the desired product **5a** (70mg, 80% yield) as a yellow oil. Only one epimer was generated. The stereochemistry should be analogous to that of **1a**.
^{1}H NMR (400 MHz, CDCl$_3$) δ 8.02 (d, J = 6.8 Hz, 1H), 7.40 (t, J = 7.8 Hz, 1H), 7.32 (s, 5H), 7.21 (d, J = 7.4 Hz, 1H), 7.11 (t, J = 7.4 Hz, 1H), 6.79 (d, J = 5.7 Hz, 1H), 5.78 (d, J = 5.7 Hz, 1H), 3.29 (d, J = 18.7 Hz, 1H), 3.20 (s, 1H), 2.91 (d, J = 18.7 Hz, 1H), 1.46 (s, 9H).
^{13}C NMR (100 MHz, CDCl$_3$) δ 207.4, 160.7, 151.9, 142.7, 139.5, 133.1, 132.2, 130.5, 128.3, 126.9, 124.9, 123.7, 116.3, 83.6, 82.9, 80.2, 39.9, 28.3.
HRMS-ESI (*m/z*): calcd for $C_{23}H_{22}NO_4$ [M-H]$^+$: 376.1549; found: 376.1556.



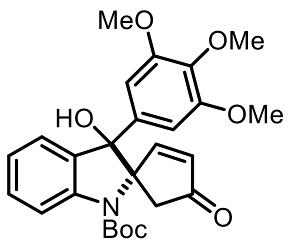
8 **5b**

To a solution of **8** (90 mg, 0.30 mmol) in THF (3.0 mL) at -78℃ under N_2 was added LiHMDS (0.35mL, 0.45 mmol, 1.3 M in THF). The reaction was stirred for 1 h, and then 3-OMePhLi [made by the treatment of 1-bromo-3-methoxybenzene (0.45 mmol) in THF with n-BuLi (0.4 mmol) at -78℃] was added. The mixture was stirred at -78 ℃ for 2 h. The reaction was quenched with saturated ammonium chloride and extracted with ethyl acetate (3×20 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/dichloromethane/petroleum ether = 1/3/2 to afforded the desired product **5b** (86mg, 75% yield) as a colorless oil.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.05 (d, *J* = 7.0 Hz, 1H), 7.43 (t, *J* = 7.8 Hz, 1H), 7.25 (dd, *J* = 10.3, 5.3 Hz, 2H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.94 (s, 1H), 6.91 – 6.79 (m, 3H), 5.84 (d, *J* = 5.6 Hz, 1H), 3.79 (s, 3H), 3.32 (d, *J* = 18.7 Hz, 1H), 2.97 (d, *J* = 18.7 Hz, 1H), 2.74 (s, 1H), 1.49 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) *δ* 207.2, 160.2, 159.5, 151.8, 142.7, 141.0, 132.9, 132.3, 130.6, 129.3, 124.8, 123.7, 119.4, 116.4, 113.4, 113.1, 83.6, 82.9, 80.2, 55.3, 39.7, 28.3.

HRMS-ESI (*m/z*): calcd for $C_{24}H_{25}NO_5$ $[M-H]^+$: 406.1654; found: 406.1666.



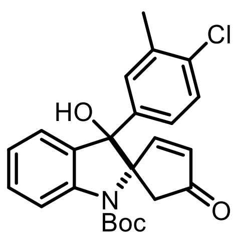
5c

The above compound was prepared by following the same procedure as that for **5b**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5c** (70% yield) as a yellow oil.

^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.01 (d, *J* = 7.0 Hz, 1H), 7.40 (dd, *J* = 11.5, 4.1 Hz, 1H), 7.29 (s, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 6.84 (d, *J* = 5.7 Hz, 1H), 6.50 (s, 2H), 5.84 (d, *J* = 5.7 Hz, 1H), 3.82 (s, 3H), 3.74 (s, 6H), 3.30 (d, *J* = 18.6 Hz, 1H), 3.21 (s, 1H), 2.91 (d, *J* = 18.6 Hz, 1H), 1.46 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) *δ* 207.3, 160.6, 152.9, 151.8, 142.6, 137.8, 135.2, 132.8, 132.1, 130.6, 124.8, 123.7, 116.4, 104.4, 83.8, 82.9, 80.1, 60.8, 56.2, 40.0, 28.3.

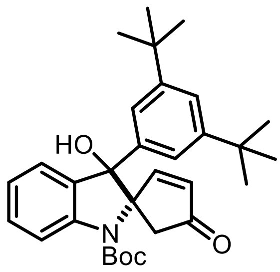
HRMS-ESI (*m/z*): calcd for $C_{26}H_{28}NO_7$ $[M-H]^+$: 466.1866; found: 466.1873.



5d

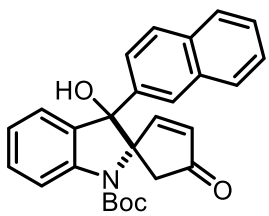
The above compound was prepared by following the same procedure as that for **5b**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5d** (70% yield) as a yellow oil.

¹H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, *J* = 7.0 Hz, 1H), 7.46 – 7.39 (m, 1H), 7.28 (t, *J* = 4.2 Hz, 2H), 7.20 (dd, *J* = 7.4, 1.1 Hz, 1H), 7.13 (td, *J* = 7.4, 0.7 Hz, 1H), 7.04 (d, *J* = 7.2 Hz, 1H), 6.81 (d, *J* = 5.7 Hz, 1H), 5.85 (d, *J* = 5.7 Hz, 1H), 3.25 (d, *J* = 18.7 Hz, 1H), 2.98 (s, 1H), 2.94 (d, *J* = 18.7 Hz, 1H), 2.36 (s, 3H), 1.48 (s, 9H).
¹³C NMR (100 MHz, $CDCl_3$) δ 207.1, 160.3, 151.8, 142.6, 138.0, 136.1, 134.5, 132.8, 132.5, 130.8, 129.4, 128.8, 125.9, 124.7, 123.8, 116.4, 83.3, 83.0, 80.2, 39.9, 28.3, 20.3.
HRMS-ESI (*m/z*): calcd for $C_{24}H_{23}ClNO_4$ $[M-H]^+$: 424.1316; found: 424.1324.



5e

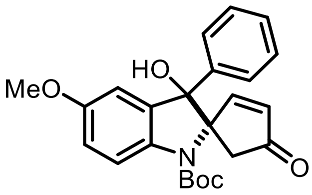
The above compound was prepared by following the same procedure as that for **5b**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5e** (43% yield) as a yellow oil.
¹H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, *J* = 5.7 Hz, 1H), 7.41 (s, 1H), 7.26 (d, *J* = 3.0 Hz, 2H), 7.18 – 7.06 (m, 3H), 6.75 – 6.67 (m, 1H), 5.79 – 5.65 (m, 1H), 3.32 (d, *J* = 18.8 Hz, 1H), 2.97 (d, *J* = 18.7 Hz, 1H), 2.48 (s, 1H), 1.47 (s, 9H), 1.26 (s, 18H).
¹³C NMR (100 MHz, $CDCl_3$) δ 205.4, 167.0, 151.8, 140.2, 135.9, 134.8, 128.5, 127.6, 124.8, 123.5, 121.4, 120.7, 120.6, 113.5, 85.4, 73.7, 50.0, 35.5, 31.5, 28.0.
HRMS-ESI (*m/z*): calcd for $C_{31}H_{39}NO_4$ $[M+H]^+$: 490.2957; found: 490.2959.



5f

The above compound was prepared by following the same procedure as that for **5b**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5f** (72% yield) as a yellow oil.
¹H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 6.8 Hz, 1H), 7.88 – 7.74 (m, 4H), 7.54 – 7.48 (m, 2H), 7.48 – 7.42 (m, 1H), 7.38 (dd, *J* = 10.7, 6.6 Hz, 1H), 7.25 – 7.21 (m, 1H), 7.15 (td, *J* = 7.5, 0.9 Hz, 1H), 6.86 (d, *J* = 5.7 Hz, 1H), 5.75 (d, *J* = 5.7 Hz, 1H), 3.40 (d, *J* = 18.8 Hz, 1H), 3.01 (d, *J* = 18.7 Hz, 1H), 2.52 (s, 1H), 1.47 (s, 9H).
¹³C NMR (100 MHz, $CDCl_3$) δ 207.0, 151.8, 136.7, 133.0, 133.0, 132.8, 132.6, 130.8, 128.5, 128.1, 127.6, 126.7, 126.5, 126.4, 124.9, 124.6, 123.8, 116.6, 83.8, 83.0, 80.4, 39.8, 28.3.

HRMS-ESI (*m/z*): calcd for $C_{27}H_{24}NO_4$ $[M-H]^+$: 426.1705; found: 426.1705.



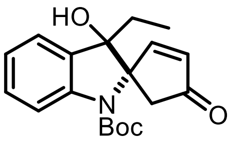
5g

The above compound was prepared by following the same procedure as that for **5b**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5g** (71% yield) as a yellow oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (s, 1H), 7.40 – 7.29 (m, 5H), 6.93 (d, J = 9.0 Hz, 1H), 6.78 (d, J = 5.4 Hz, 1H), 6.74 (s, 1H), 5.79 (d, J = 5.1 Hz, 1H), 3.74 (s, 3H), 3.27 (d, J = 18.7 Hz, 1H), 2.93 (d, J = 18.1 Hz, 2H), 1.45 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 207.2, 160.3, 156.2, 151.9, 139.1, 136.2, 134.1, 132.2, 128.4, 128.3, 126.9, 117.3, 116.2, 109.9, 83.7, 82.6, 80.3, 55.8, 39.9, 28.3.

HRMS-ESI (*m/z*): calcd for $C_{24}H_{24}NO_5$ $[M-H]^+$: 406.1654; found: 406.1648.



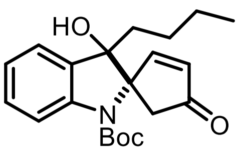
5h

The above compound was prepared by following the same procedure as that for **5a**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5h** (65% yield) as a colorless oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (s, 1H), 7.54 (d, J = 5.8 Hz, 1H), 7.39 – 7.28 (m, 2H), 7.08 (t, J = 7.4 Hz, 1H), 6.26 (d, J = 5.7 Hz, 1H), 3.10 (d, J = 17.7 Hz, 1H), 2.61 (d, J = 17.7 Hz, 2H), 2.04 – 1.84 (m, 1H), 1.73 – 1.57 (m, 1H), 1.51 (s, 9H), 0.94 (t, J = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 206.1, 161.5, 151.9, 133.9, 133.2, 132.8, 129.7, 123.8, 123.0, 115.6, 82.7, 82.4, 79.3, 42.2, 30.7, 28.3, 7.6.

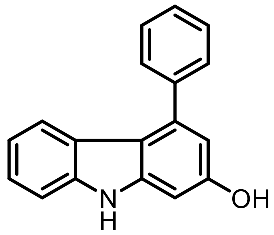
HRMS-ESI (*m/z*): calcd for $C_{19}H_{22}NO_4$$[M-H]^+$: 328.1549; found: 328.1532.



5i

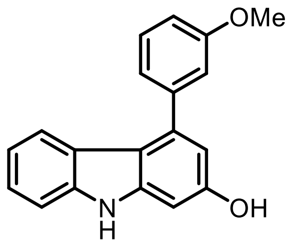
The above compound was prepared by following the same procedure as that for **5a**. Purification by silica gel chromatography (ethyl acetate/ dichloromethane /petroleum ether = 1/3/2) afforded **5i** (70% yield) as a colorless oil.

^{1}**H NMR** (400 MHz, $CDCl_3$) δ 7.79 (s, 1H), 7.59 (d, *J* = 5.7 Hz, 1H), 7.33 (d, *J* = 7.4 Hz, 1H), 7.26 (d, *J* = 6.6 Hz, 1H), 7.06 (t, *J* = 7.4 Hz, 1H), 6.23 (d, *J* = 5.7 Hz, 1H), 3.40 (s, 1H), 3.06 (d, *J* = 17.5 Hz, 1H), 2.53 (d, *J* = 17.5 Hz, 1H), 1.85 (dd, *J* = 17.3, 8.4 Hz, 1H), 1.50 (s, 9H), 1.37 – 1.15 (m, 5H), 0.85 (t, *J* = 6.9 Hz, 3H).
^{13}**C NMR** (100 MHz, $CDCl_3$) δ 206.4, 162.4, 152.0, 140.7, 133.2, 132.9, 129.5, 124.0, 122.9, 115.4, 82.6, 82.5, 79.4, 42.5, 38.0, 28.3, 25.1, 23.0, 13.9.
HRMS-ESI (*m/z*): calcd for $C_{21}H_{26}NO_4$ $[M-H]^+$: 356.1832; found: 356.1852.



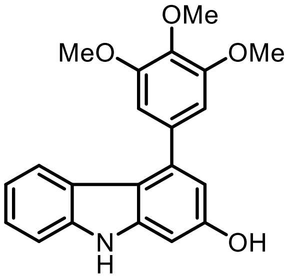
6a

To a solution of **5a** (0.1 mmol) in CH_3CN (1 mL) at RT was added TFA (0.1 mL, 10 vol%). The reaction was stirred for 12 h at RT. The mixture was concentrated under reduced pressure and purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/3 to afford the desired product **6a** (83% yield) as a brown oil.
^{1}**H NMR** (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.67 – 7.59 (m, 2H), 7.58 – 7.46 (m, 3H), 7.38 (dd, *J* = 7.5, 6.1 Hz, 2H), 7.31 (dd, *J* = 7.1, 1.0 Hz, 1H), 7.02 – 6.93 (m, 1H), 6.89 (d, *J* = 2.2 Hz, 1H), 6.66 (d, *J* = 2.2 Hz, 1H).
^{13}**C NMR** (100 MHz, $CDCl_3$) δ 154.3, 141.3, 140.7, 139.8, 138.8, 129.0, 128.4, 127.7, 124.6, 123.1, 121.4, 119.2, 115.2, 110.2, 110.2, 95.6.
HRMS-ESI (*m/z*): calcd for $C_{18}H_{14}NO$ $[M+H]^+$: 260.1071; found: 260.1075.



6b

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6b** (72% yield) as a colorless oil.
^{1}**H NMR** (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.46 – 7.39 (m, 2H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.31 – 7.27 (m, 1H), 7.20 (d, *J* = 7.5 Hz, 1H), 7.17 – 7.14 (m, 1H), 7.03 (dd, *J* = 8.3, 2.6 Hz, 1H), 7.00 – 6.94 (m, 1H), 6.88 (d, *J* = 2.2 Hz, 1H), 6.65 (d, *J* = 2.2 Hz, 1H), 4.97 (s, 1H), 3.86 (s, 3H).
^{13}**C NMR** (100 MHz, $CDCl_3$) δ 159.6, 154.2, 142.0, 141.3, 139.8, 138.6, 129.5, 124.6, 123.1, 121.6, 121.5, 119.2, 115.1, 114.1, 113.8, 110.1, 110.1, 95.7, 55.4.
HRMS-ESI (*m/z*): calcd for $C_{19}H_{16}NO_2$ $[M+H]^+$: 290.1811; found: 290.1811.



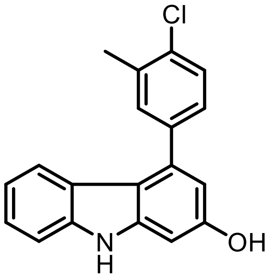
6c

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6c** (75% yield) as a brown oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (s, 1H), 7.54 (d, J = 7.9 Hz, 1H), 7.38 – 7.29 (m, 2H), 7.01 (t, J = 7.4 Hz, 1H), 6.89 (s, 1H), 6.85 (s, 2H), 6.68 (s, 1H), 4.01 (s, 3H), 3.86 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 154.5, 153.1, 141.4, 139.8, 138.5, 137.4, 137.4, 136.4, 124.6, 123.0, 121.5, 119.2, 110.3, 110.1, 106.1, 95.8, 61.1, 56.2

HRMS-ESI (*m/z*): calcd for $C_{21}H_{20}NO_4$ $[M+H]^+$: 350.1392; found: 350.1389.



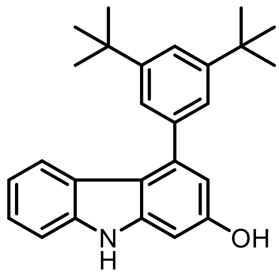
6d

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6d** (61% yield) as a yellow oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.51 – 7.43 (m, 2H), 7.41 – 7.32 (m, 3H), 7.32 – 7.26 (m, 1H), 7.02 – 6.94 (m, 1H), 6.86 (d, J = 2.2 Hz, 1H), 6.59 (d, J = 2.2 Hz, 1H), 2.46 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 154.3, 141.3, 139.8, 137.6, 136.4, 136.1, 133.8, 131.5, 129.1, 127.8, 124.7, 123.0, 121.3, 119.3, 115.0, 110.2, 110.1, 95.8, 20.1.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{15}ClNO$ $[M+H]^+$: 308.0842; found: 308.0840.



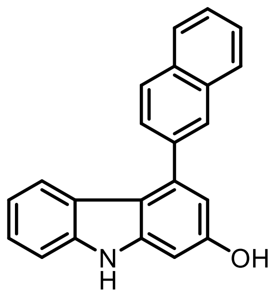
6e

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6e** (74% yield) as a brown oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.54 – 7.50 (m, 1H), 7.47 (d, J = 1.8 Hz, 2H), 7.44 (d, J = 8.0 Hz, 1H), 7.36 – 7.32 (m, 1H), 7.29 – 7.25 (m, 1H), 6.96 – 6.89 (m, 1H), 6.85 (d, J = 2.2 Hz, 1H), 6.69 (d, J = 2.2 Hz, 1H), 5.05 (s, 1H), 1.38 (s, 18H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 154.3, 150.7, 141.4, 139.9, 139.8, 139.6, 124.5, 123.5, 123.2, 121.8, 121.4, 119.0, 115.2, 110.2, 110.1, 95.3, 60.5, 35.0, 31.6, 21.1, 14.2.

HRMS-ESI (*m/z*): calcd for $C_{26}H_{30}NO$ $[M+H]^+$: 372.2327; found: 372.2323.



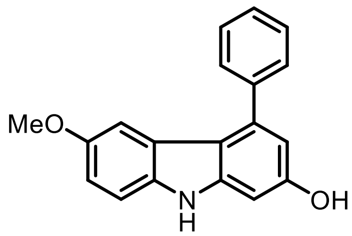
6f

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6f** (81% yield) as a brown oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 – 8.03 (m, 2H), 8.02 – 7.94 (m, 2H), 7.93 – 7.88 (m, 1H), 7.76 (dd, J = 8.4, 1.7 Hz, 1H), 7.60 – 7.51 (m, 2H), 7.36 (d, J = 8.7 Hz, 2H), 7.30 – 7.27 (m, 1H), 6.95 – 6.86 (m, 2H), 6.73 (d, J = 2.1 Hz, 1H), 5.08 (s, 1H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 154.4, 141.4, 139.9, 138.6, 138.2, 133.5, 132.9, 128.2, 127.9, 127.8, 127.7, 127.5, 126.3, 126.1, 124.6, 123.1, 121.5, 119.3, 115.3, 110.5, 110.2, 95.8.

HRMS-ESI (*m/z*): calcd for $C_{22}H_{16}NO$ $[M+H]^+$: 310.1232; found: 310.1231.



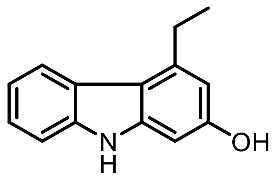
6g

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6g** (72% yield) as a colorless oil.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (s, 1H), 7.62 – 7.57 (m, 2H), 7.54 – 7.43 (m, 3H), 7.22 (d, J = 8.7 Hz, 1H), 6.90 (d, J = 8.6 Hz, 1H), 6.83 (s, 2H), 6.61 (s, 1H), 3.61 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 154.3, 153.3, 142.1, 140.5, 138.7, 134.6, 129.1, 128.3, 127.8, 123.6, 115.1, 113.2, 110.7, 109.8, 104.8, 100.0, 95.7, 55.6.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{16}NO_2$ $[M+H]^+$: 290.1181; found: 290.1184.



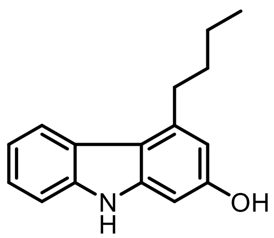
6h

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6h** (46% yield) as a light yellow solid.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.86 (m, 2H), 7.35 – 7.23 (m, 2H), 7.15 (t, J = 7.4 Hz, 1H), 6.65 (s, 1H), 6.51 (s, 1H), 3.12 (q, J = 7.4 Hz, 2H), 1.36 (t, J = 7.5 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 153.6, 140.1, 140.0, 138.5, 123.0, 122.4, 120.6, 118.5, 114.3, 109.1, 107.1, 93.1, 26.1, 12.8.

HRMS-ESI (*m/z*): calcd for $C_{14}H_{14}NO$ $[M+H]^+$: 212.1075; found: 212.1070.



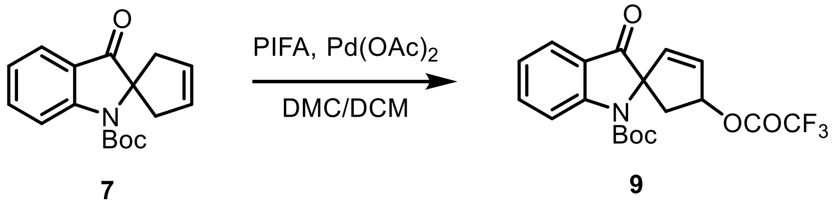
6i

The above compound was prepared by following the same procedure as that for **6a**. Purification by silica gel chromatography (ethyl acetate/petroleum ether = 1/3) afforded **6i** (51% yield) as a white solid.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J = 7.9 Hz, 1H), 7.95 (s, 1H), 7.41 – 7.31 (m, 2H), 7.25 – 7.20 (m, 1H), 6.71 (d, J = 2.2 Hz, 1H), 6.55 (d, J = 2.1 Hz, 1H), 4.81 (s, 1H), 3.19 – 3.09 (m, 2H), 1.90 – 1.76 (m, 2H), 1.60 – 1.45 (m, 2H), 1.00 (t, J = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 154.4, 141.2, 139.8, 139.7, 139.6, 138.5, 124.1, 121.6, 119.6, 110.2, 109.2, 94.2, 34.0, 31.7, 22.8, 14.1.

HRMS-ESI (*m/z*): calcd for $C_{16}H_{18}NO$ $[M+H]^+$: 240.1388; found: 240.1386.



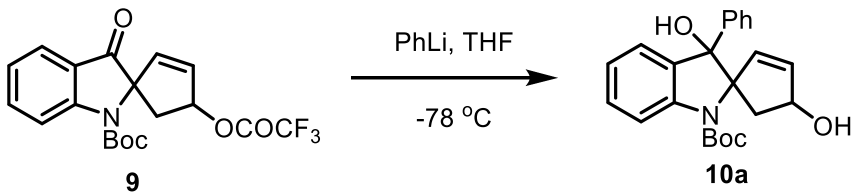
The compound **7** (0.3 mmol) was dissolved in dimethyl carbonate: DCM = 1/10, followed by the addition of PIFA (0.45 mmol) and palladium acetate (0.015 mmol, 5 mol %). The reaction mixture was stirred for overnight at RT. The crude products were purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/10 to afford the desired product **9** (51% yield) as a white solid. Only one epimer was generated. The relative stereochemistry was not assigned.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.27 (d, J = 8.5 Hz, 1H), 7.78 – 7.63 (m, 2H), 7.16 (t, J = 7.4 Hz, 1H), 6.30 – 6.12 (m, 2H), 5.88 (dd, J = 5.5, 1.3 Hz, 1H), 2.81 (dd, J = 13.4, 7.6 Hz, 1H), 2.62 (dd, J = 13.4, 5.7 Hz, 1H), 1.53 (s, 9H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 198.1, 156.9 (q, $^2J_{C-F}$ =42.4 Hz), 153.3, 150.4, 137.8, 136.7, 131.7, 124.5, 123.5, 121.2, 117.0, 114.4 (q, $^1J_{C-F}$ =285.9 Hz), 83.5, 81.7, 79.0, 39.5, 28.1.

^{19}F NMR (376 MHz, Chloroform-*d*) δ -75.0.

HRMS-ESI (*m/z*): calcd for $C_{19}H_{19}F_3NO_5$ $[M+H]^+$: 398.1215; found: 398.122.



To a solution of **9** (44 mg, 0.1 mmol) in THF (1.0 mL) at -78 °C was added PhLi (0.19 mL, 0.3 mmol, 1.6 M in dibutyl ether). The reaction was stirred at -78 °C for 2 h. The reaction was then quenched with brine and extracted with ethyl acetate (3×3 mL). The combined organic layers were dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The crude residue was purified by silica gel chromatography, eluting with ethyl acetate/petroleum ether = 1/2 to afford the desired product **10a** (36 mg, 95%) as a white solid. Only one epimer was generated. The relative stereochemistry was not assigned.

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, J = 8.3 Hz, 1H), 7.42 – 7.26 (m, 6H), 7.15 (dd, J = 7.5, 1.3 Hz, 1H), 7.06 (td, J = 7.4, 1.0 Hz, 1H), 5.66 (d, J = 5.5 Hz, 1H), 5.26 (d, J = 5.5 Hz, 1H), 4.60 (s, 1H), 4.43 (d, J = 7.8 Hz, 1H), 3.16 (dd, J = 16.0, 7.8 Hz, 1H), 2.41 (d, J = 16.0 Hz, 1H), 2.35 (s, 1H), 1.60 (s, 9H).

^{13}C NMR (100 MHz, Chloroform-*d*) δ 152.0, 142.3, 139.0, 136.5, 134.4, 132.1, 130.1, 127.8, 127.7, 127.6, 125.1, 123.2, 116.3, 86.4, 83.3, 82.9, 75.9, 28.5.

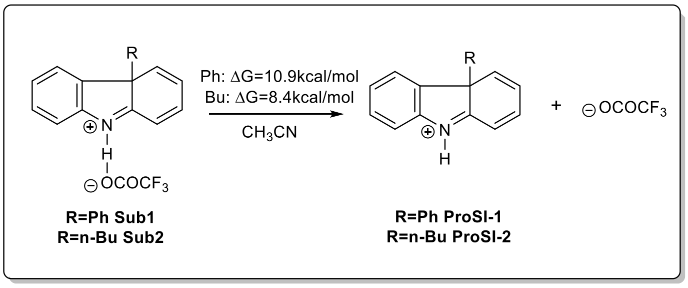
HRMS-ESI (*m/z*): calcd for $C_{23}H_{26}NO_4$ $[M+H]^+$: 380.1862; found: 380.1841.

Computational methods and Results

(1) Computational methods

The calculations were performed with the Gaussian 16 program package[1]. The geometry optimizations of the substrates, products and transition states were performed using the M062X functional[2] with Pople's 6-31G(d) basis set[3] for all atoms. Higher level of single point electronic energies for those structures were calculated at M062X/def2-TZVP[4] level. The solvent effect in CH_3CN and DCE was evaluated with the SMD method[5]. The vibrational harmonic frequencies and thermal corrections were calculated using the same level as the optimization; the former confirmed the optimized geometrical structures are the minima of PES, and transition states, the first order saddle points. Intrinsic reaction coordinate (IRC) calculations were performed for the identified
transition states to confirm the reaction path proceeding in both directions (reactant and product), in which the Hessian was recomputed every five predictor steps with a step size along the reaction path of 0.05 Bohr[6]. All energies mentioned are solvated Gibbs free energies in CH_3CN. To determinie the cataytic form of TFA, we calculated the Gibbs free energy change of protonation reaction at the (SMD)-M062X/6-31G(d) level, in CH_3CN solvent.

(2) Determine the catalytic form of the acid
Since TFA is a relatively strong acid and the dielectric constant of the solvent CH_3CN is also relatively large. Therefore, it is necessary to determine whether TFA completely protonated the imine substrate. We calculated the Gibbs free energy change of protonation reaction.



Since the △G is positive, TFA should be in the form of hydrogen bonded complex.

Species	Trifluoroacetate	Sub1	ProSI-1	Sub2	ProSI-2
Optimization Level			(SMD)-M062X/6-31G(d)		
Electronic Energy Level			(SMD)-M062X/6-31G(d)		
Electronic Energy (kcal/mol)	-330163.09	-799945.73	-469763.39	-753649.56	-423467.70
Imaginaries	0	0	0	0	0
G_{sol}(kcal/mol	-330164.57	-	-	-	-

)	799793.36	469617.91	753475.19	423302.21

(3) Geometries and original energies

Specics	Sub1	Sub2
Optimization Level	(SMD)-M062X/6-31G(d)	
Electronic Energy Level	(SMD)-M062X/def2TZVP	
Electronic Energy (kcal/mol)	-800269.47	-753957.91
Imaginaries	0	0
G Correction (kcal/mol)	152.37	174.37
G_{sol}(kcal/mol)	-800117.10	-753783.54

Specics	TS1	TS2
Optimization Level	(SMD)-M062X/6-31G(d)	
Electronic Energy Level	(SMD)-M062X/def2TZVP	
Electronic Energy (kcal/mol)	-800251.79	-753936.35
Imaginaries	1	1
G Correction (kcal/mol)	152.79	171.91
G_{sol}(kcal/mol)	-800099.00	-753764.44

Specics	TS3	TS4
Optimization Level	(SMD)-M062X/6-31G(d)	
Electronic Energy Level	(SMD)-M062X/def2TZVP	
Electronic Energy (kcal/mol)	-800246.17	-753935.39
Imaginaries	1	1
G Correction (kcal/mol)	152.24	172.36
G_{sol}(kcal/mol)	-800093.93	-753763.03

Specics	Pro1	Pro2
Optimization Level	(SMD)-M062X/6-31G(d)	
Electronic Energy Level	(SMD)-M062X/def2TZVP	
Electronic Energy (kcal/mol)	-800274.20	-753957.89
Imaginaries	0	0
G Correction (kcal/mol)	151.56	173.00
G_{sol}(kcal/mol)	-800122.64	-753784.89

Specics	Pro3	Pro4
Optimization Level	(SMD)-M062X/6-31G(d)	
Electronic Energy Level	(SMD)-M062X/def2TZVP	
Electronic Energy (kcal/mol)	-800256.41	-753946.02

Imaginaries	0	0
G Correction (kcal/mol)	154.39	176.55
G_{sol}(kcal/mol)	-800102.02	-753769.47

Sub1

C	0.221338	1.437642	-0.412928
C	1.582917	1.446469	-0.728686
C	2.279336	2.640950	-0.757990
C	1.572564	3.820100	-0.494092
C	0.212537	3.790899	-0.178056
C	-0.495400	2.587313	-0.124631
H	3.342315	2.664746	-0.979289
H	2.092002	4.772317	-0.531290
H	-0.306829	4.720502	0.032341
H	-1.548923	2.532646	0.131395
C	2.034673	0.007306	-0.842978
N	-0.259057	0.102198	-0.461124
C	0.701522	-0.705995	-0.803600
C	2.838108	-0.424540	-2.037518
H	3.679551	0.197390	-2.329548
C	0.576256	-2.066808	-1.245739
H	-0.284928	-2.665444	-0.970760
C	2.579015	-1.601471	-2.626170
H	3.190385	-1.954174	-3.450140
C	1.491752	-2.465709	-2.160232
H	1.398306	-3.449739	-2.610108
C	2.774512	-0.418887	0.479860
C	2.500473	0.244473	1.680925
C	3.661911	-1.497593	0.490283
C	3.117009	-0.155638	2.863915
H	1.810333	1.081193	1.707315
C	4.279760	-1.890985	1.675995
H	3.885112	-2.036331	-0.423530
C	4.012455	-1.222004	2.866749
H	2.893813	0.375088	3.784427
H	4.973299	-2.726292	1.661110
H	4.496696	-1.528678	3.788762
H	-1.319503	-0.221602	-0.349610
O	-2.648363	-0.813932	-0.257539
C	-3.480817	-0.004606	0.244514
O	-3.333868	1.158805	0.609545

C	-4.889605	-0.627905	0.383647
F	-4.849874	-1.771393	1.086590
F	-5.755095	0.187319	0.993663
F	-5.400842	-0.926377	-0.823460

Sub2

C	-0.692383	-0.939882	-0.954782
C	-2.061037	-0.708269	-1.134316
C	-2.887306	-1.737671	-1.552792
C	-2.305614	-2.982680	-1.814528
C	-0.936148	-3.193968	-1.629494
C	-0.096869	-2.170595	-1.185811
H	-3.954808	-1.582915	-1.680736
H	-2.928884	-3.799520	-2.164511
H	-0.515682	-4.174068	-1.831751
H	0.967026	-2.308917	-1.019142
C	-2.342907	0.684443	-0.637562
N	-0.073749	0.257965	-0.523876
C	-0.959826	1.215395	-0.433291
C	-3.223292	1.655013	-1.357127
H	-4.156441	1.283342	-1.771316
C	-0.723316	2.612856	-0.248549
H	0.230884	2.972042	0.120441
C	-2.922929	2.965591	-1.330196
H	-3.595530	3.697592	-1.763987
C	-1.694217	3.444661	-0.709562
H	-1.534449	4.517953	-0.662128
H	0.994316	0.412676	-0.365323
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H	-4.027573	0.184049	0.625696
H	-3.093594	1.571051	1.212694
C	-2.300359	-0.351438	1.809051
H	-1.260201	-0.028907	1.948439
H	-2.272425	-1.381706	1.434880
C	-3.013402	-0.328827	3.162751
H	-3.035855	0.699703	3.543928
H	-4.057915	-0.636164	3.026073
C	-2.336085	-1.241707	4.180581
H	-1.297030	-0.937363	4.347866
H	-2.853611	-1.215816	5.144531
H	-2.327932	-2.279669	3.830335
O	2.434343	0.827883	-0.098190

C	3.188321	-0.183272	-0.072230
O	2.934460	-1.370992	-0.267924
C	4.655256	0.187381	0.254752
F	4.741042	0.848334	1.421888
F	5.169289	0.994086	-0.690367
F	5.454178	-0.880980	0.342978

TS1

C	0.156570	1.380957	-0.401426
C	1.522376	1.393472	-0.752609
C	2.224226	2.598771	-0.804851
C	1.549337	3.770197	-0.487101
C	0.194254	3.739847	-0.114829
C	-0.524980	2.553423	-0.068041
H	3.265141	2.627731	-1.111213
H	2.071316	4.720171	-0.533559
H	-0.307003	4.671118	0.131962
H	-1.574419	2.508795	0.206387
C	1.887910	-0.007187	-0.986575
N	-0.349792	0.094121	-0.482913
C	0.617537	-0.741277	-0.934760
C	3.030304	-0.680546	-1.555956
H	3.907116	-0.105141	-1.830407
C	0.511859	-2.030512	-1.408581
H	-0.443598	-2.544071	-1.396825
C	2.866817	-1.997653	-2.074358
H	3.717883	-2.476428	-2.543624
C	1.653466	-2.640019	-1.978182
H	1.552008	-3.642833	-2.381327
C	3.056786	-0.606007	0.239529
C	2.657956	-1.677079	1.046011
C	4.025842	0.300676	0.682429
C	3.235347	-1.830266	2.298140
H	1.906185	-2.382453	0.710077
C	4.597895	0.129305	1.937218
H	4.349859	1.118941	0.049230
C	4.202167	-0.930197	2.750133
H	2.919470	-2.656112	2.927049
H	5.357834	0.827204	2.272676
H	4.643946	-1.056640	3.733246
H	-1.363308	-0.178767	-0.406278
O	-2.900221	-0.810378	-0.354124
C	-3.633171	0.019995	0.237487
O	-3.383127	1.145922	0.676415

C	-5.083520	-0.496706	0.420434
F	-5.105619	-1.653906	1.106541
F	-5.872196	0.364194	1.074813
F	-5.664515	-0.740277	-0.769133

TS2

C	0.148360	1.186216	-0.569891
C	1.510583	1.104343	-0.934755
C	2.275058	2.260404	-1.112560
C	1.654203	3.488870	-0.936348
C	0.293838	3.558575	-0.582438
C	-0.480473	2.421382	-0.392770
H	3.323248	2.193771	-1.389954
H	2.218332	4.405217	-1.075018
H	-0.166324	4.534093	-0.454395
H	-1.530194	2.462055	-0.119187
C	1.816595	-0.310412	-0.996671
N	-0.387225	-0.084733	-0.443004
C	0.569616	-1.005254	-0.745499
C	2.966021	-1.062065	-1.361544
H	3.867651	-0.541006	-1.664777
C	0.488256	-2.378818	-0.882762
H	-0.447441	-2.898112	-0.703555
C	2.836775	-2.455523	-1.569747
H	3.698028	-3.020544	-1.906366
C	1.631006	-3.082921	-1.310759
H	1.550746	-4.156468	-1.449866
H	-1.391329	-0.321465	-0.250631
C	2.971132	-0.787001	0.617181
H	2.718879	-1.816310	0.864209
H	2.307351	-0.083446	1.117794
C	4.414285	-0.384939	0.779396
H	4.586363	0.590614	0.309416
H	5.078693	-1.116682	0.310001
C	4.717717	-0.282514	2.282412
H	4.064101	0.473217	2.732660
H	4.487117	-1.237949	2.767778
C	6.180583	0.081552	2.521069
H	6.424246	1.042713	2.056347
H	6.392769	0.158999	3.591517
H	6.846979	-0.677191	2.097813
O	-2.934838	-0.911985	0.035497

C	-3.683370	0.060592	0.297779
O	-3.445938	1.270609	0.352463
C	-5.138717	-0.378664	0.603259
F	-5.184172	-1.191957	1.675139
F	-5.668058	-1.064881	-0.426096
F	-5.959018	0.649025	0.854451

TS3

C	0.442386	1.614362	-0.163798
C	1.847510	1.719197	-0.300851
C	2.518751	2.895865	0.058196
C	1.767897	3.946995	0.550614
C	0.368482	3.824981	0.700468
C	-0.316266	2.671030	0.361182
H	3.596002	2.972666	-0.052912
H	2.253798	4.875265	0.831943
H	-0.189030	4.667392	1.099486
H	-1.387913	2.559017	0.489664
C	2.293331	0.466420	-0.856794
N	0.017993	0.376356	-0.586546
C	1.112633	-0.366089	-0.969093
C	3.465682	0.145875	-1.626459
H	4.348376	0.770034	-1.541198
C	1.082357	-1.463781	-1.922773
H	0.171759	-2.042363	-2.035041
C	3.393959	-0.889576	-2.502498
H	4.248892	-1.123362	-3.129088
C	2.197813	-1.696912	-2.651271
H	2.207445	-2.500259	-3.380599
C	2.014915	-0.955174	0.544761
C	1.459856	-0.537994	1.746664
C	2.890069	-2.028394	0.474187
C	1.785718	-1.232718	2.909264
H	0.774169	0.299713	1.805505
C	3.205418	-2.712693	1.646236
H	3.331118	-2.348680	-0.462344
C	2.658057	-2.317485	2.864130
H	1.348386	-0.915507	3.850382
H	3.885579	-3.556827	1.595570
H	2.910597	-2.851960	3.774281
H	-0.964096	-0.006225	-0.545586
O	-2.440678	-0.743566	-0.617399
C	-3.265207	-0.016954	-0.009603
O	-3.107059	1.046112	0.596377

C	-4.708469	-0.579026	-0.069463
F	-4.767387	-1.836244	0.404688
F	-5.585855	0.148934	0.631637
F	-5.154366	-0.621729	-1.339064

TS4

C	-0.687955	-0.844974	-1.053672
C	-2.076797	-0.629407	-1.215640
C	-2.918264	-1.678111	-1.608269
C	-2.350867	-2.918632	-1.844982
C	-0.964577	-3.119630	-1.678654
C	-0.113372	-2.102168	-1.277644
H	-3.985840	-1.515027	-1.724246
H	-2.975296	-3.749064	-2.157712
H	-0.551732	-4.106246	-1.868280
H	0.953103	-2.245188	-1.135272
C	-2.315396	0.748119	-0.864466
N	-0.078195	0.324020	-0.644782
C	-1.031771	1.294538	-0.517168
C	-3.428578	1.620278	-1.067722
H	-4.389841	1.208926	-1.357530
C	-0.851841	2.714122	-0.398688
H	0.128475	3.118020	-0.168701
C	-3.234495	2.963289	-0.917116
H	-4.060575	3.650101	-1.069638
C	-1.946169	3.505878	-0.580255
H	-1.845855	4.582413	-0.487576
H	0.937834	0.462148	-0.409930
C	-1.981785	0.916865	1.299181
H	-2.715604	1.717231	1.358004
H	-1.016182	1.188495	1.721019
C	-2.424961	-0.449811	1.698328
H	-1.699141	-1.204994	1.374598
H	-3.400692	-0.695874	1.268810
C	-2.503492	-0.477949	3.239665
H	-1.514271	-0.259702	3.656970
H	-3.182170	0.308946	3.587279
C	-2.990418	-1.839131	3.729176
H	-2.313591	-2.635666	3.403088
H	-3.041431	-1.862274	4.821865
H	-3.988592	-2.061491	3.338146
O	2.486956	0.886170	0.024343

C	3.212922	-0.130250	-0.104342
O	2.938692	-1.274103	-0.477126
C	4.688374	0.142932	0.285838
F	4.785974	0.525985	1.572650
F	5.213052	1.136080	-0.455089
F	5.480999	-0.924416	0.131710

Pro1

C	-0.219542	1.150700	-0.386172
C	1.195231	1.048110	-0.613605
C	1.989352	2.226699	-0.677710
C	1.367077	3.435311	-0.519958
C	-0.042619	3.515623	-0.297155
C	-0.847740	2.404653	-0.227280
H	3.059177	2.155463	-0.849017
H	1.942193	4.354227	-0.562975
H	-0.489607	4.498401	-0.178636
H	-1.918706	2.453951	-0.058375
C	1.476447	-0.316933	-0.719806
N	-0.770800	-0.084090	-0.352312
C	0.237411	-1.002255	-0.547142
C	2.733992	-1.068626	-0.957306
H	3.044080	-0.853935	-1.997972
C	0.166778	-2.374963	-0.540051
H	-0.784896	-2.875168	-0.380697
C	2.554679	-2.550567	-0.915971
H	3.452123	-3.145243	-1.061521
C	1.352892	-3.151680	-0.732257
H	1.270520	-4.232453	-0.721715
H	-1.787763	-0.329063	-0.194598
O	-3.306258	-0.896987	0.036466
C	-4.087601	0.077632	0.172177
O	-3.870771	1.291622	0.152214
C	-5.555128	-0.368025	0.395038
F	-5.665543	-1.156897	1.479122
F	-6.008757	-1.081152	-0.652260
F	-6.395643	0.658812	0.566926
C	3.883949	-0.622375	-0.053850
C	5.068036	-0.123838	-0.594601
C	3.743086	-0.726450	1.332753
C	6.105999	0.275384	0.247611
H	5.177059	-0.043560	-1.672974
C	4.780236	-0.329082	2.170809
H	2.818132	-1.117167	1.751628

C	5.963858	0.173699	1.629146
H	7.024077	0.667184	-0.179638
H	4.664686	-0.411754	3.247289
H	6.771878	0.485691	2.283819

Pro2

C	-0.230507	1.315587	-0.284076
C	1.188414	1.344364	-0.499448
C	1.871460	2.590891	-0.531662
C	1.144630	3.735886	-0.340250
C	-0.264969	3.683681	-0.119289
C	-0.967792	2.502558	-0.090091
H	2.941580	2.623674	-0.712818
H	1.635809	4.703030	-0.360064
H	-0.799027	4.618250	0.026070
H	-2.039606	2.451044	0.072920
C	1.590939	0.011080	-0.655349
N	-0.672867	0.036198	-0.307018
C	0.411520	-0.781274	-0.535793
C	2.914712	-0.621867	-0.838594
H	3.425737	-0.178081	-1.707831
C	0.447769	-2.150307	-0.664648
H	-0.464018	-2.734753	-0.572988
C	2.841521	-2.092041	-1.036978
H	3.773867	-2.607988	-1.246088
C	1.688974	-2.803843	-0.933491
H	1.690251	-3.880830	-1.056785
H	-1.666410	-0.301031	-0.188022
C	3.834007	-0.300049	0.396557
H	3.380638	-0.751408	1.285977
H	3.809515	0.786611	0.531304
C	5.277320	-0.765151	0.231302
H	5.670212	-0.408765	-0.730603
H	5.326880	-1.860474	0.210428
C	6.164144	-0.250542	1.365323
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H	8.044775	-0.381544	0.285141
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C	-3.989819	-0.122362	0.223710
O	-3.867247	1.101289	0.320814
C	-5.414457	-0.700808	0.419375
F	-5.438246	-1.618440	1.402563
F	-5.842300	-1.317511	-0.697928
F	-6.323872	0.231268	0.728050

Pro3

C	1.253273	1.652987	-0.117905
C	2.591806	1.193435	0.182398
C	3.533340	2.077236	0.793552
C	3.121339	3.337039	1.105060
C	1.773713	3.766269	0.832804
C	0.837410	2.964385	0.244571
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H	3.802500	4.037801	1.575275
H	1.495466	4.776685	1.118101
H	-0.185093	3.276757	0.068521
C	2.682997	-0.099289	-0.296926
N	0.562259	0.716268	-0.748420
C	1.316597	-0.528915	-0.744839
C	3.800519	-0.954126	-0.526527
H	4.750757	-0.756816	-0.041333
C	1.341494	-1.388236	-1.979649
H	0.407795	-1.527529	-2.517054
C	3.674561	-1.909879	-1.488171
H	4.531704	-2.526806	-1.741066
C	2.454529	-2.087142	-2.260905
H	2.462733	-2.797416	-3.080728
H	-0.449837	0.794668	-1.038194
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F	-4.658557	0.804602	0.840274
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C	-0.724220	-1.720851	0.185420
C	1.346893	-2.006171	1.400596
C	-1.377009	-2.531664	1.106863
H	-1.283526	-1.284633	-0.638185
C	0.683107	-2.824868	2.316589
H	2.402927	-1.807787	1.540515

C	-0.674123	-3.089940	2.174951
H	-2.439348	-2.721278	0.990183
H	1.239302	-3.246716	3.148174
H	-1.185338	-3.722566	2.893973

Pro4

C	1.390212	1.218736	-0.772825
C	2.543399	0.993172	0.057647
C	3.263485	2.091969	0.607766
C	2.807245	3.352287	0.349858
C	1.630018	3.560348	-0.442960
C	0.910591	2.535018	-0.996483
H	4.143824	1.914651	1.217452
H	3.323766	4.217150	0.751780
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H	0.005792	2.697752	-1.568546
C	2.718346	-0.385323	0.125969
N	0.899682	0.063478	-1.238677
C	1.568751	-1.025558	-0.560533
C	3.774695	-1.197794	0.620931
H	4.524982	-0.783275	1.285824
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H	1.203654	-2.649976	-2.028113
C	3.893287	-2.458082	0.109713
H	4.728322	-3.080124	0.418512
C	2.993801	-2.978173	-0.903242
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H	-1.991575	-1.323197	1.423809
H	-1.065278	-1.849495	2.822167
C	-1.937640	0.126226	3.019160
H	-2.181683	0.978377	2.376426
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F	-3.892604	-1.481814	-0.262327
F	-4.651932	0.207260	-1.375266
F	-4.178990	0.415610	0.722863

ProSI-1

C	-1.926448	0.321711	-0.741986
C	-1.333452	0.106985	0.503363
C	-2.019928	-0.587745	1.482679
C	-3.313826	-1.031495	1.188031
C	-3.886161	-0.809343	-0.066409
C	-3.194054	-0.125409	-1.068581
H	-1.567482	-0.783727	2.450043
H	-3.881062	-1.560784	1.946698
H	-4.888177	-1.172601	-0.269770
H	-3.624513	0.049786	-2.048659
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C	0.652784	1.442658	1.542103
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C	1.047489	2.351361	-1.190910
H	1.165158	2.718279	-2.204014
C	1.404847	2.518077	1.259578
H	1.866931	3.095282	2.052867
C	1.658070	2.923807	-0.120280
H	2.337833	3.754290	-0.287025
C	1.065892	-0.610773	0.163511
C	0.562920	-1.847362	-0.250575
C	2.447876	-0.446443	0.290626
C	1.432152	-2.904906	-0.515037
H	-0.503004	-2.008367	-0.364155
C	3.310121	-1.506564	0.025133
H	2.866191	0.503695	0.602899
C	2.806619	-2.741362	-0.376694
H	1.022456	-3.860050	-0.828663
H	4.380113	-1.360585	0.136389
H	3.480369	-3.567925	-0.579875
H	-1.242855	1.434538	-2.466298

ProSI-2

C	-1.995871	-0.208405	-0.520489
C	-1.002338	-0.430681	0.439781
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C	-2.101409	-2.473258	1.011729
C	-3.072141	-2.238150	0.034691
C	-3.036418	-1.090741	-0.758354
H	-0.297190	-1.766316	1.978323
H	-2.165847	-3.367485	1.623029
H	-3.874020	-2.955236	-0.107429
H	-3.786371	-0.891143	-1.516241
C	0.003109	0.682942	0.304268
N	-1.754944	1.046275	-1.126261
C	-0.708684	1.639792	-0.593297
C	0.676877	1.349453	1.453457
H	1.010595	0.734144	2.283393
C	-0.273660	2.981855	-0.747069
H	-0.669807	3.611373	-1.535585
C	0.973238	2.660680	1.371522
H	1.536919	3.152058	2.156668
C	0.542902	3.457003	0.235935
H	0.850007	4.498186	0.201536
H	-2.363452	1.469225	-1.826044
C	1.194172	0.136499	-0.639258
H	1.801165	1.004168	-0.916725
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H	1.448301	-1.780105	0.330675
H	2.539502	-0.521306	0.920492
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H	2.666094	-1.813305	-1.850458
H	3.756356	-0.561518	-1.264635
C	4.031357	-2.482845	-0.316569
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H	4.800678	-2.823246	-1.016389
H	4.535293	-2.096154	0.575973

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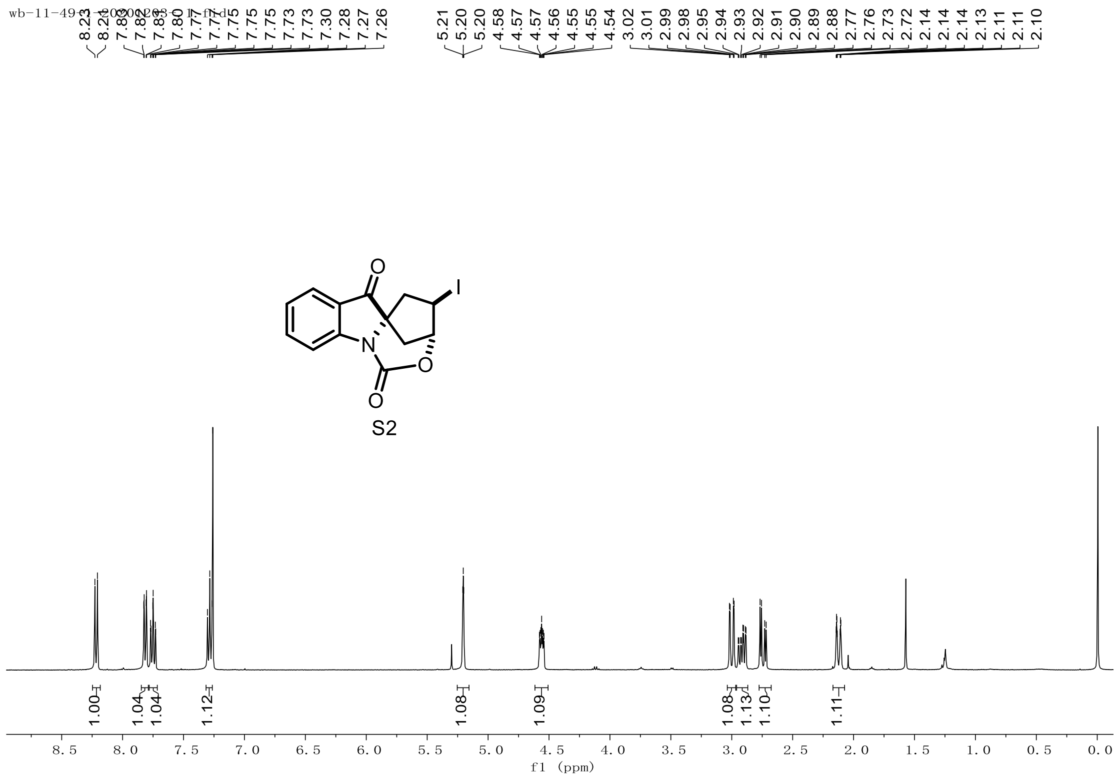
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7.73
7.30
7.28
7.27
7.26
5.21
5.20
5.20
4.58
4.57
4.57
4.56
4.55
4.55
4.54
3.02
3.01
2.99
2.98
2.95
2.94
2.93
2.92
2.91
2.90
2.89
2.88
2.77
2.76
2.73
2.72
2.14
2.14
2.14
2.13
2.11
2.11
2.10
S2
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1.04
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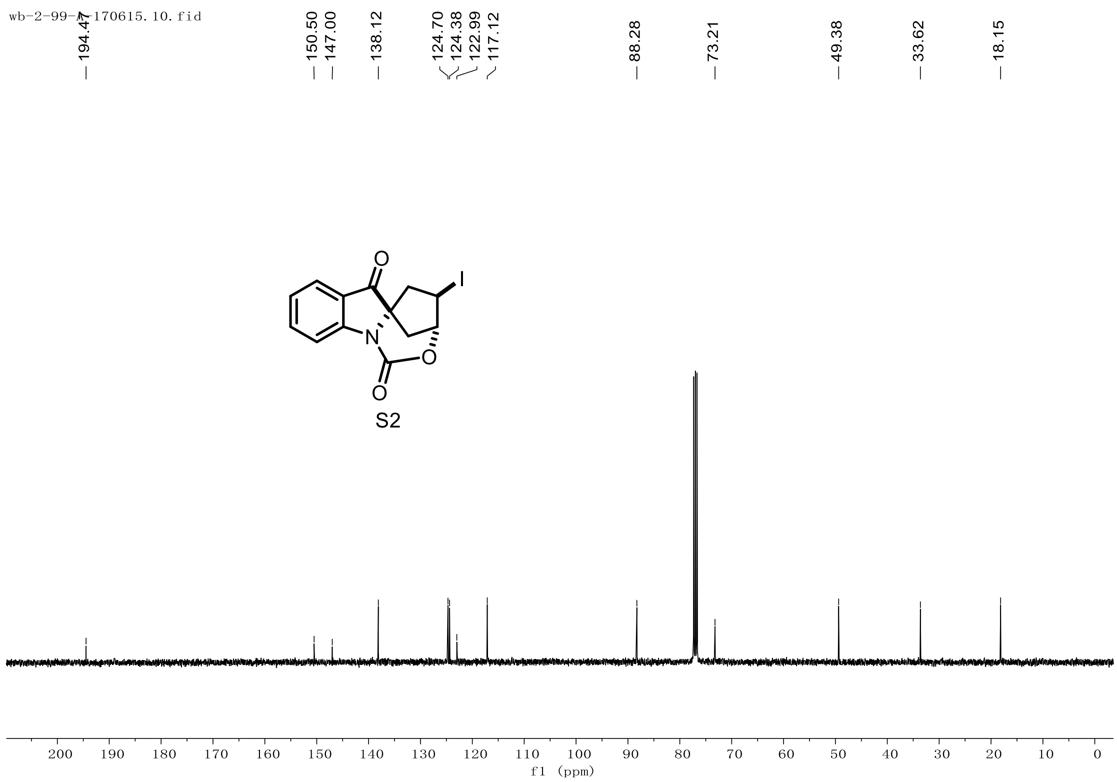
1.09
1.08
1.13
1.10
1.11
f1 (ppm)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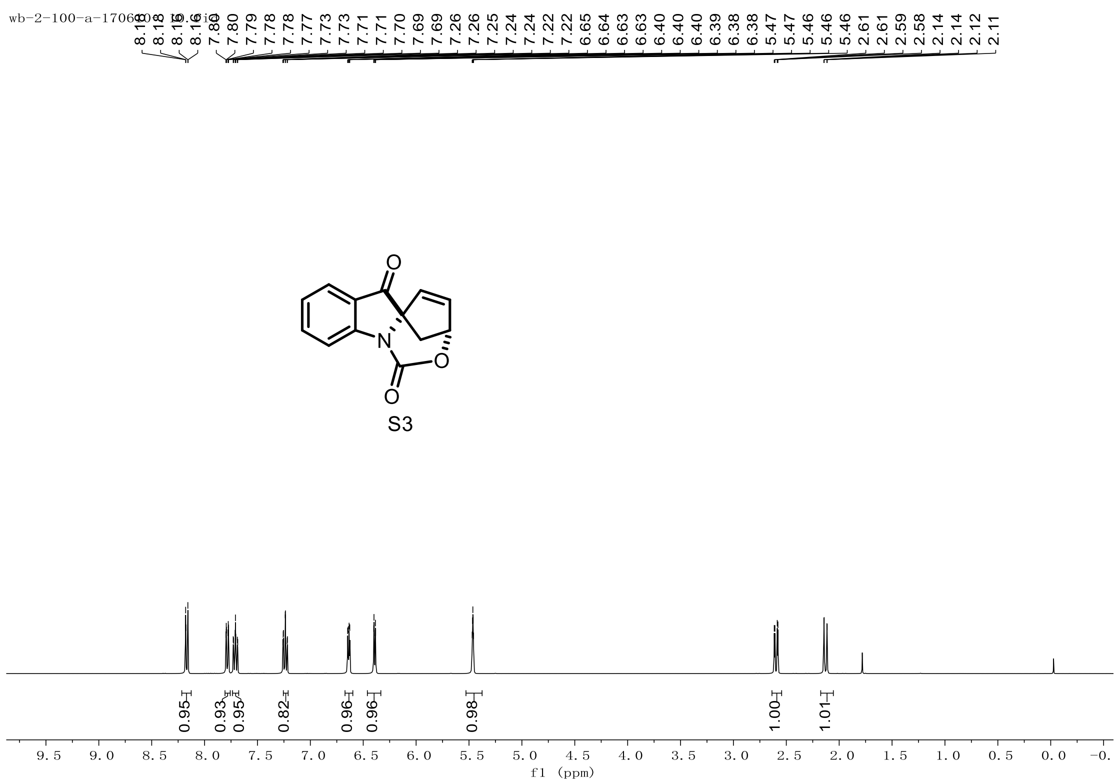
49.38
33.62
18.15
S2
f1 (ppm)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O
N
O
O
S3
0.95 0.93 0.95 0.82 0.96 0.96 0.98 1.00 1.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.
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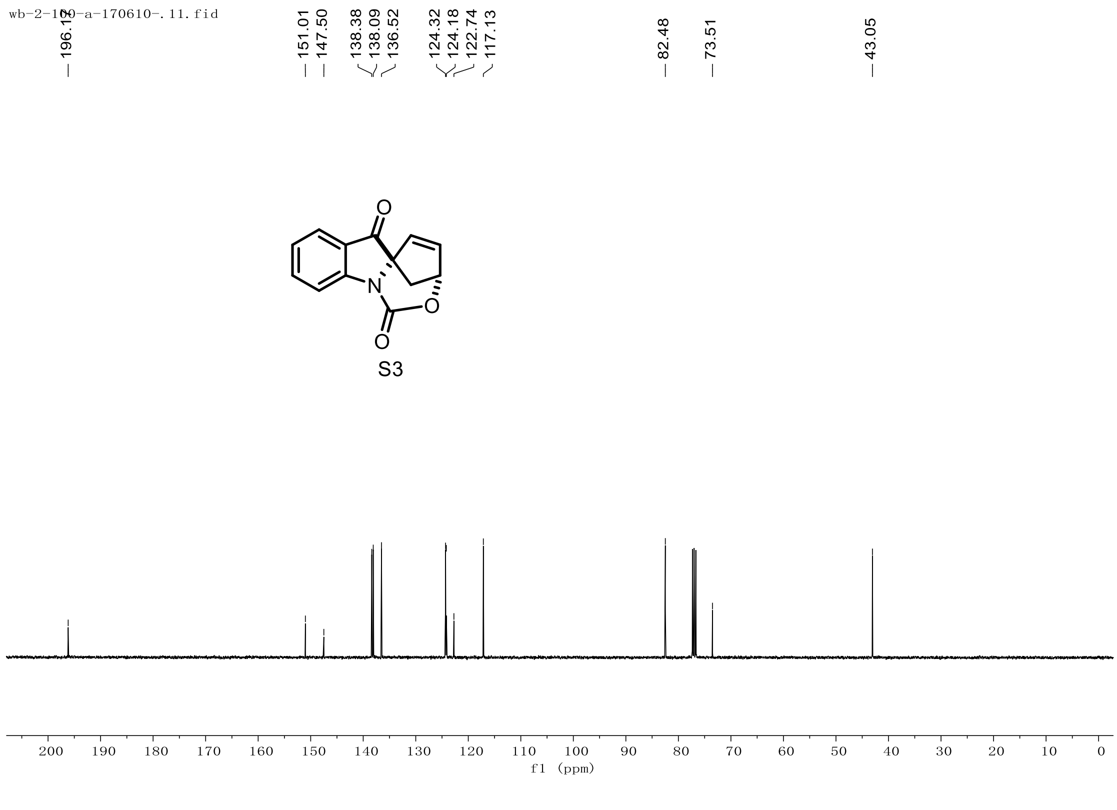
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N
O
O
S3
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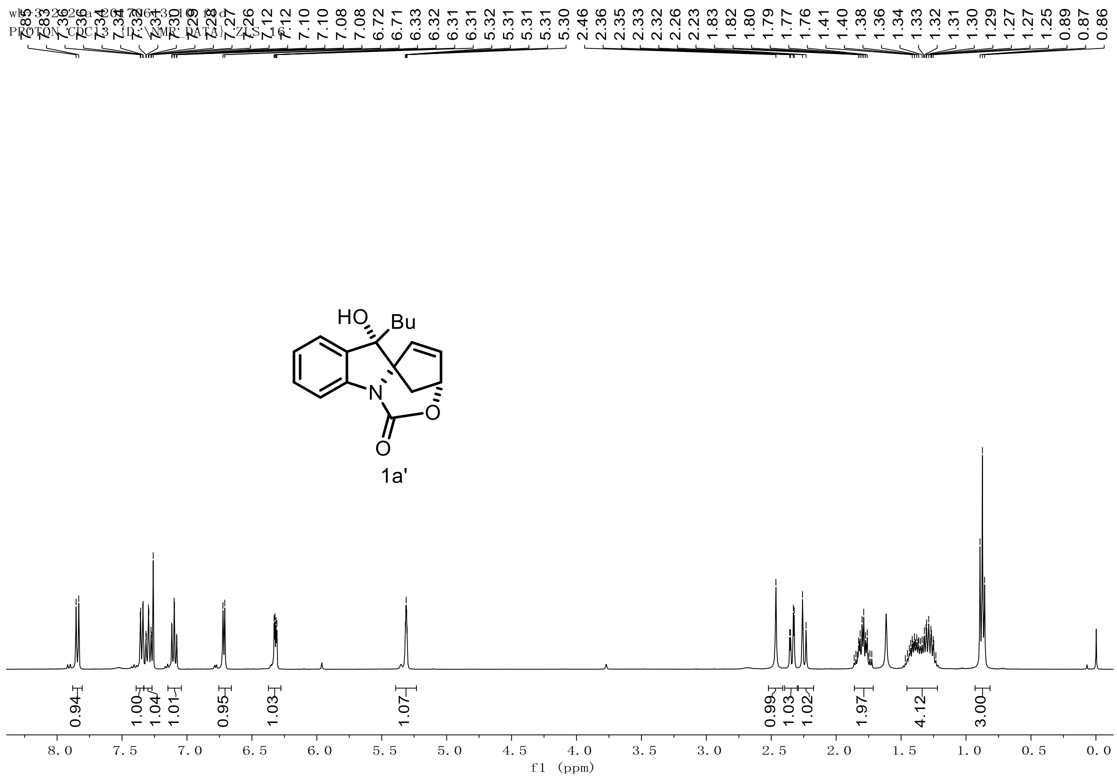
f1 (ppm)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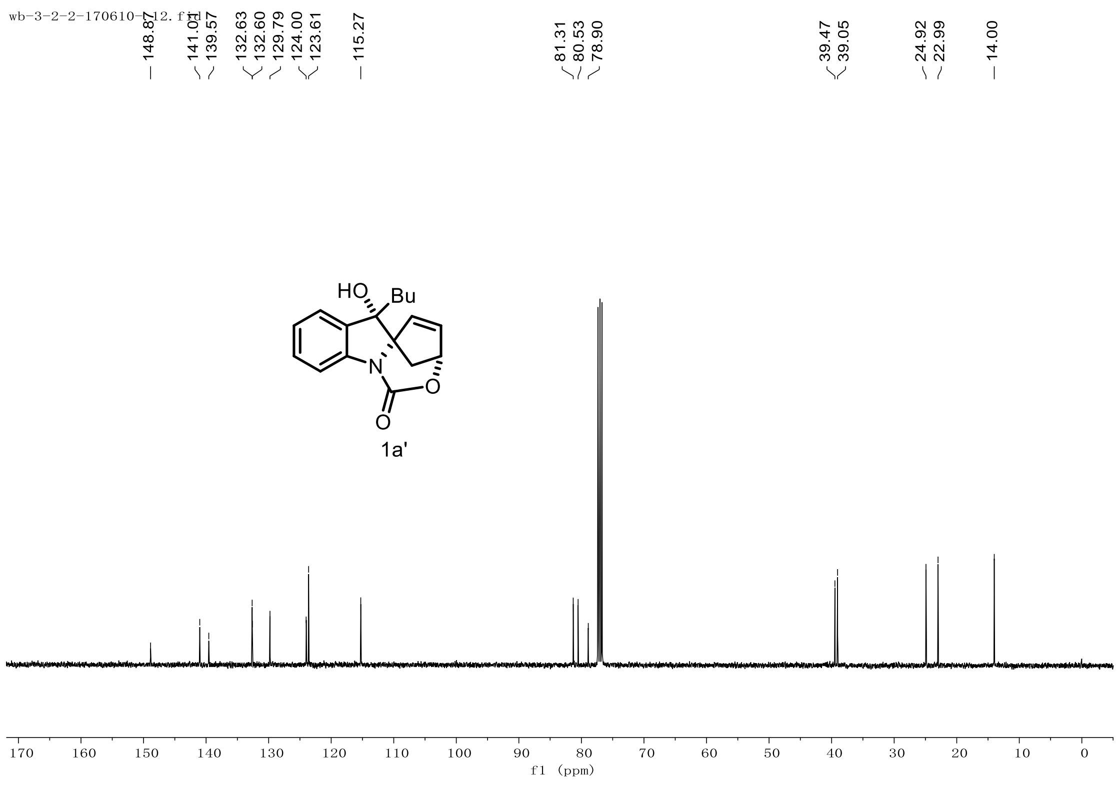
3.00
f1 (ppm)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Bu
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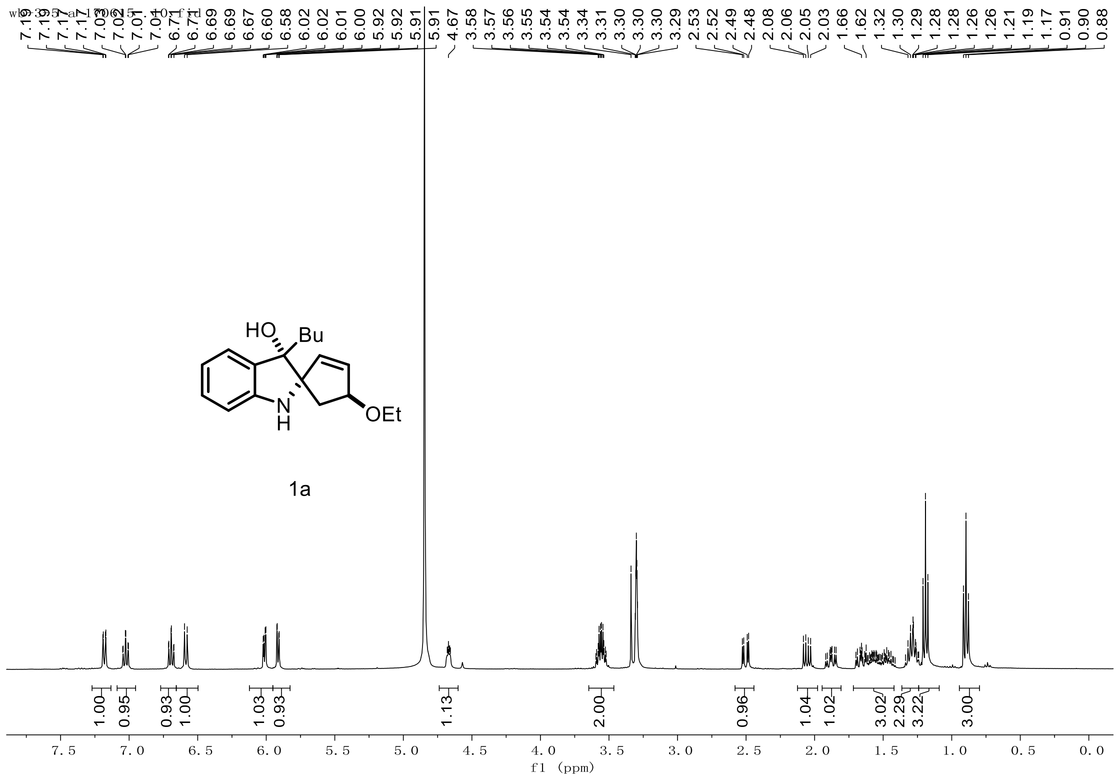
O
O
1a'
f1 (ppm)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HO
Bu
N
H
OEt
1a
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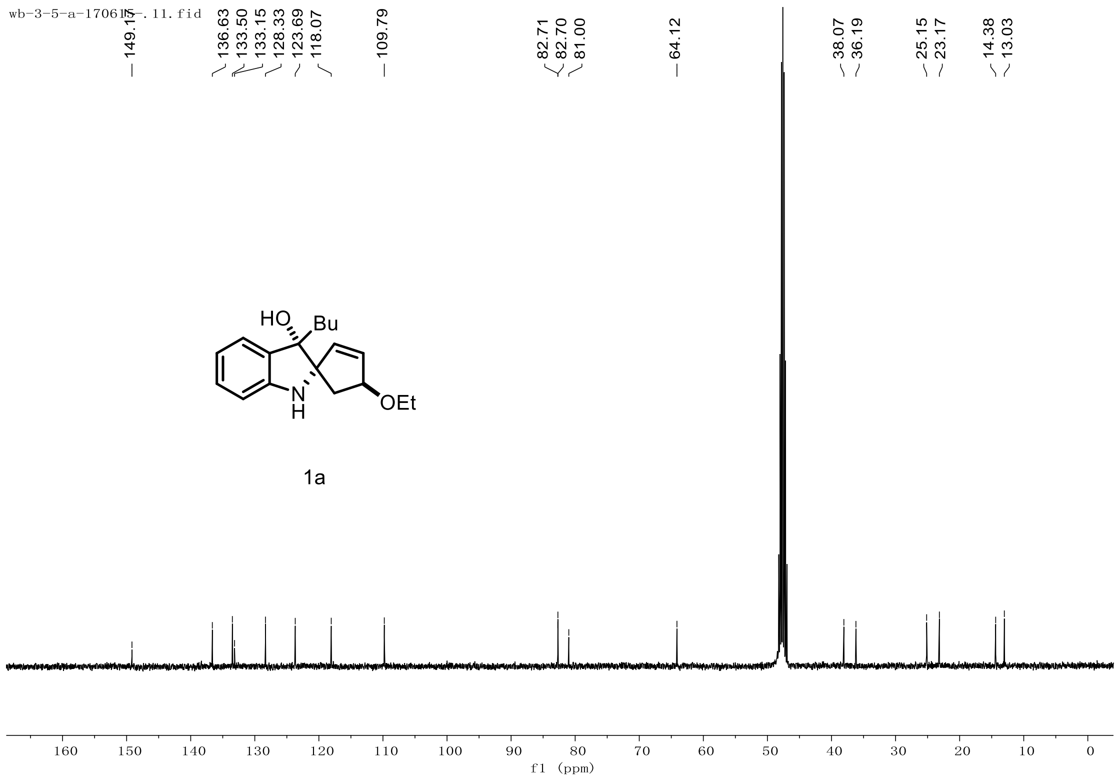
f1 (ppm)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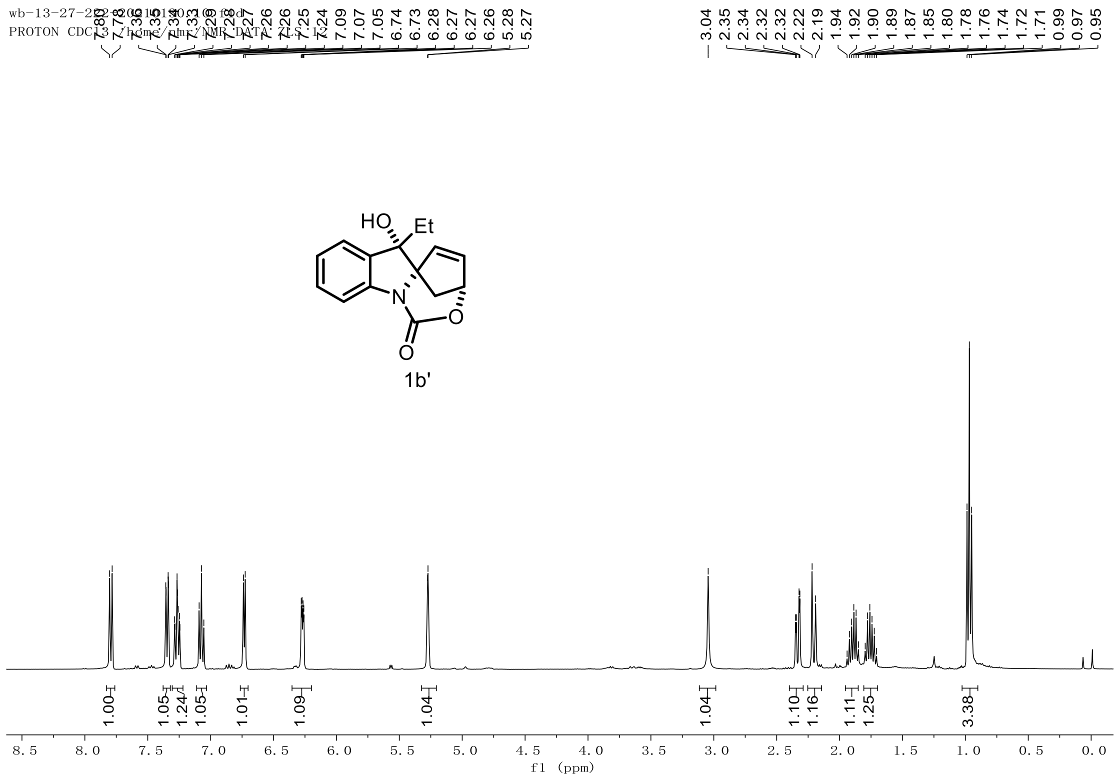
OEt
1a
f1 (ppm)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7.09
7.07
7.05
6.74
6.73
6.28
6.27
6.27
6.26
5.28
5.27
3.04
2.35
2.34
2.32
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2.22
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1.89
1.87
1.85
1.80
1.78
1.76
1.74
1.72
1.71
0.99
0.97
0.95
HO
Et
N
O
O
1b'
1.00
1.05
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1.09
1.04
1.04
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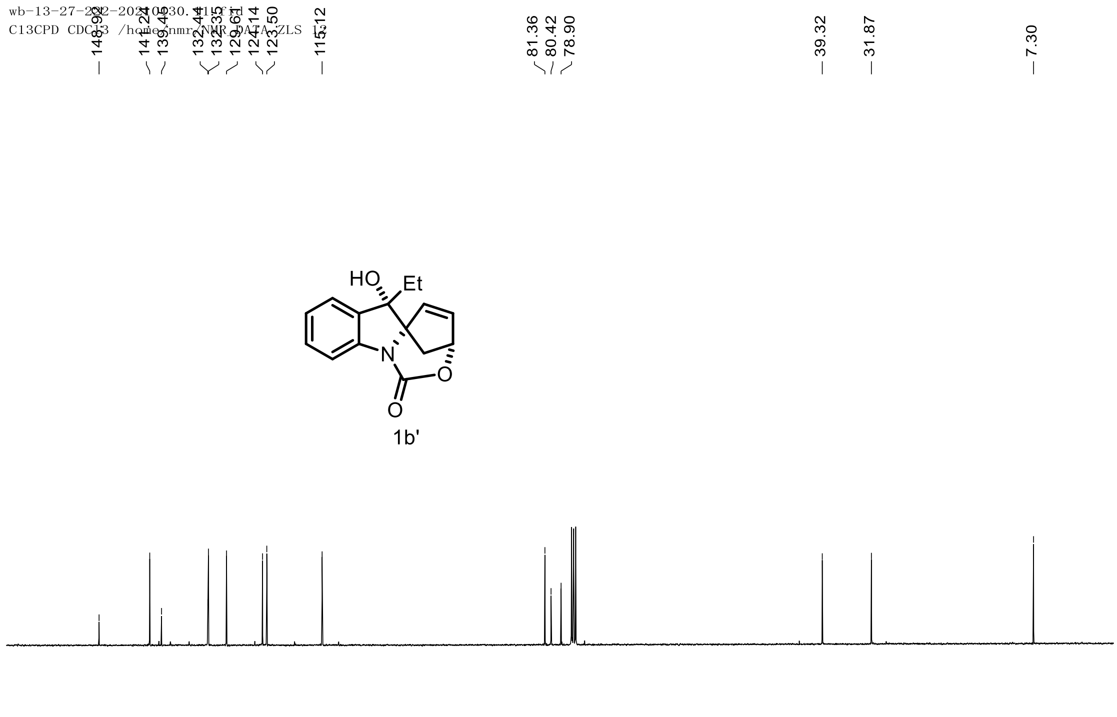
1.25
3.38
f1 (ppm)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Et
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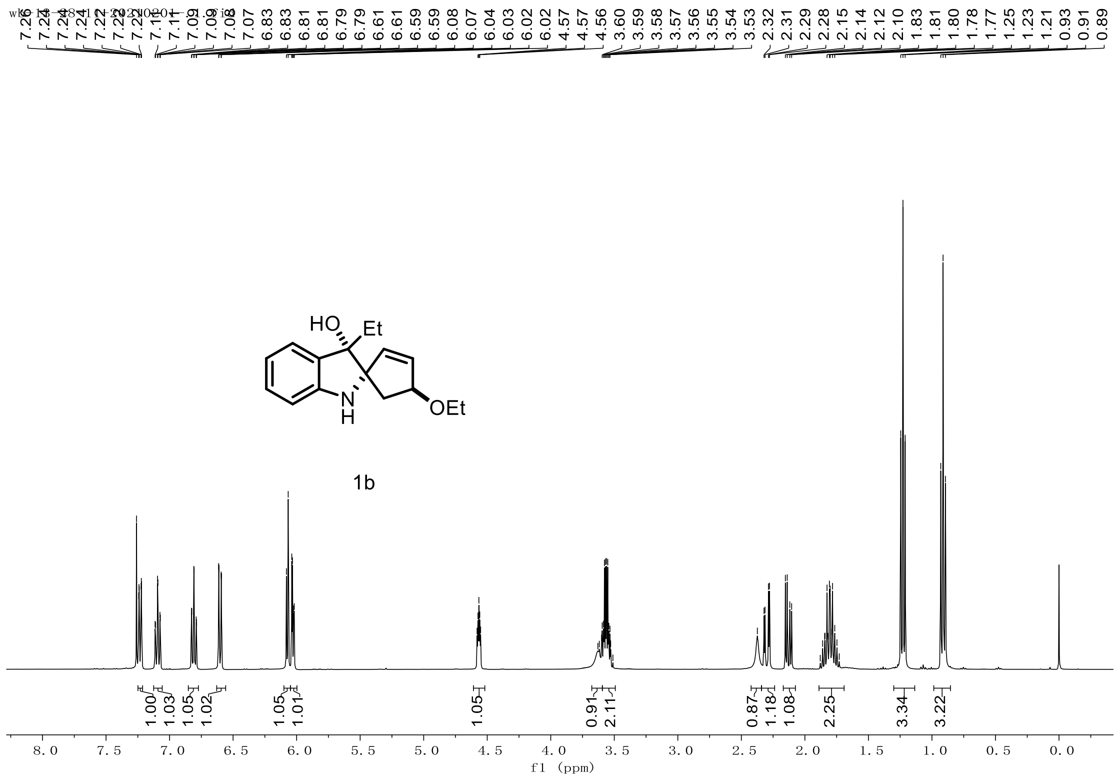
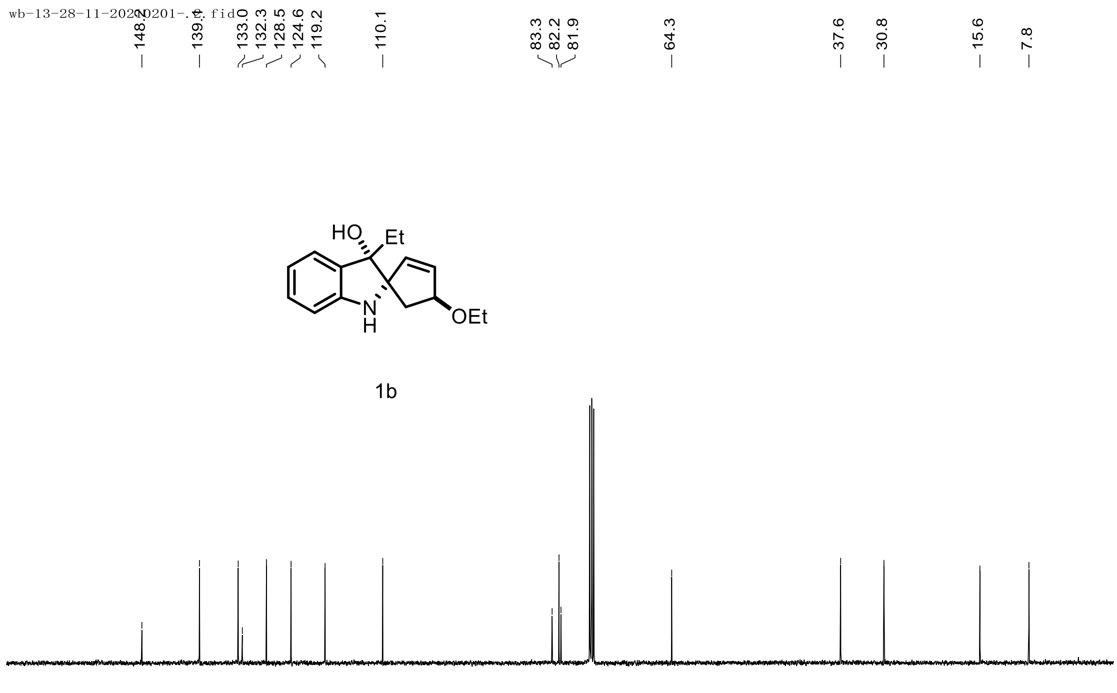
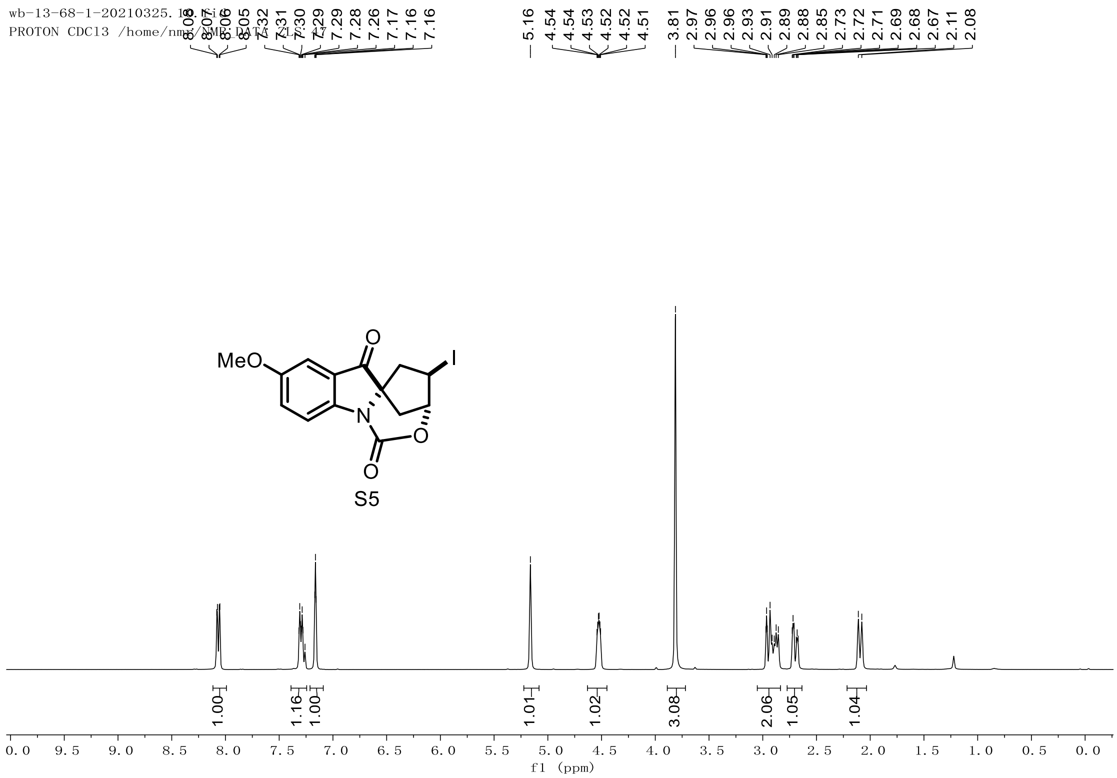
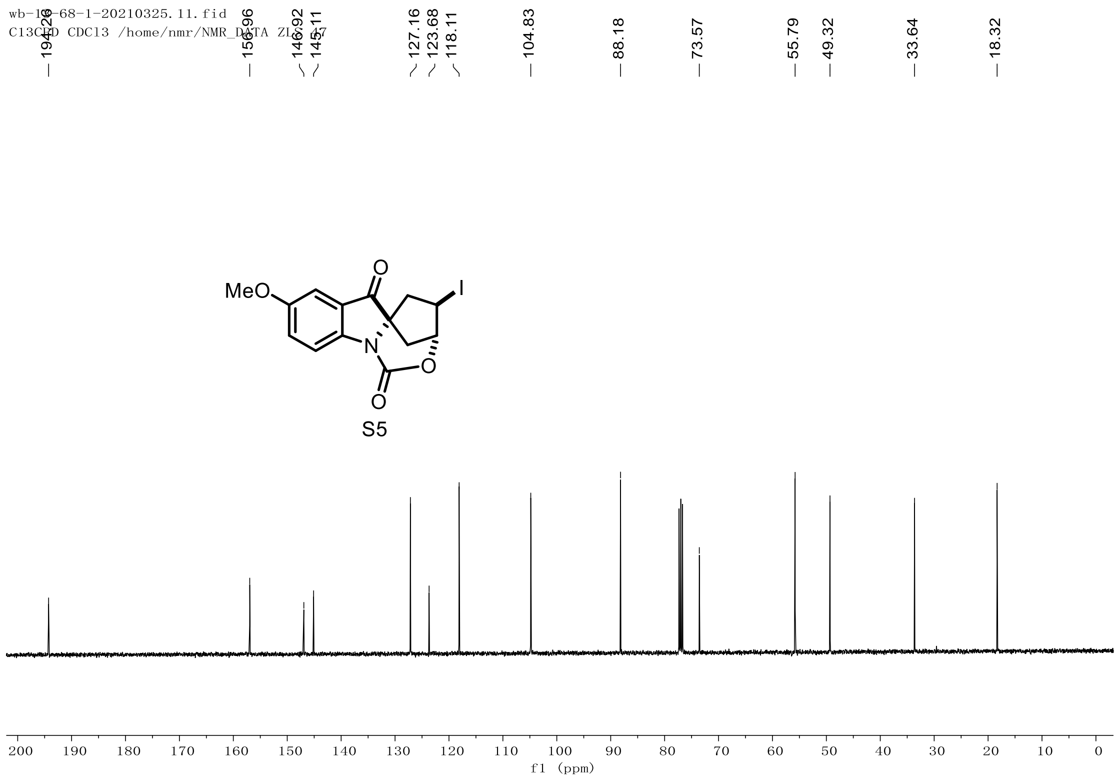
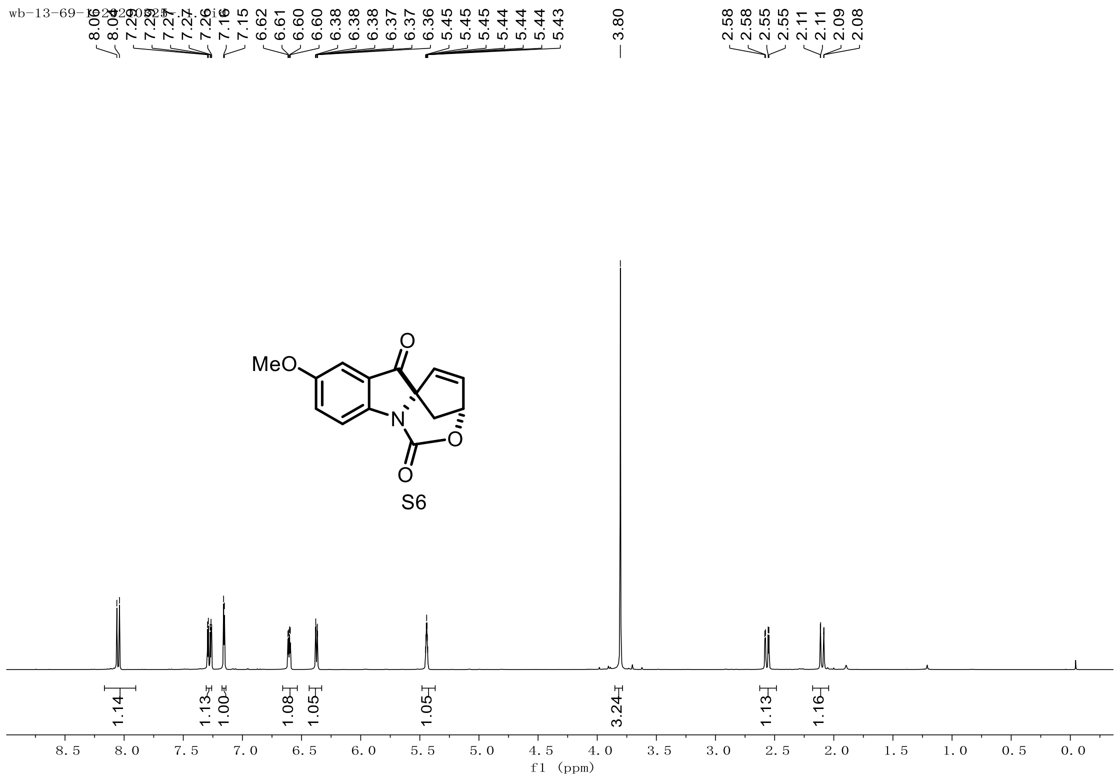
O
O
1b'
f1 (ppm)







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6.38
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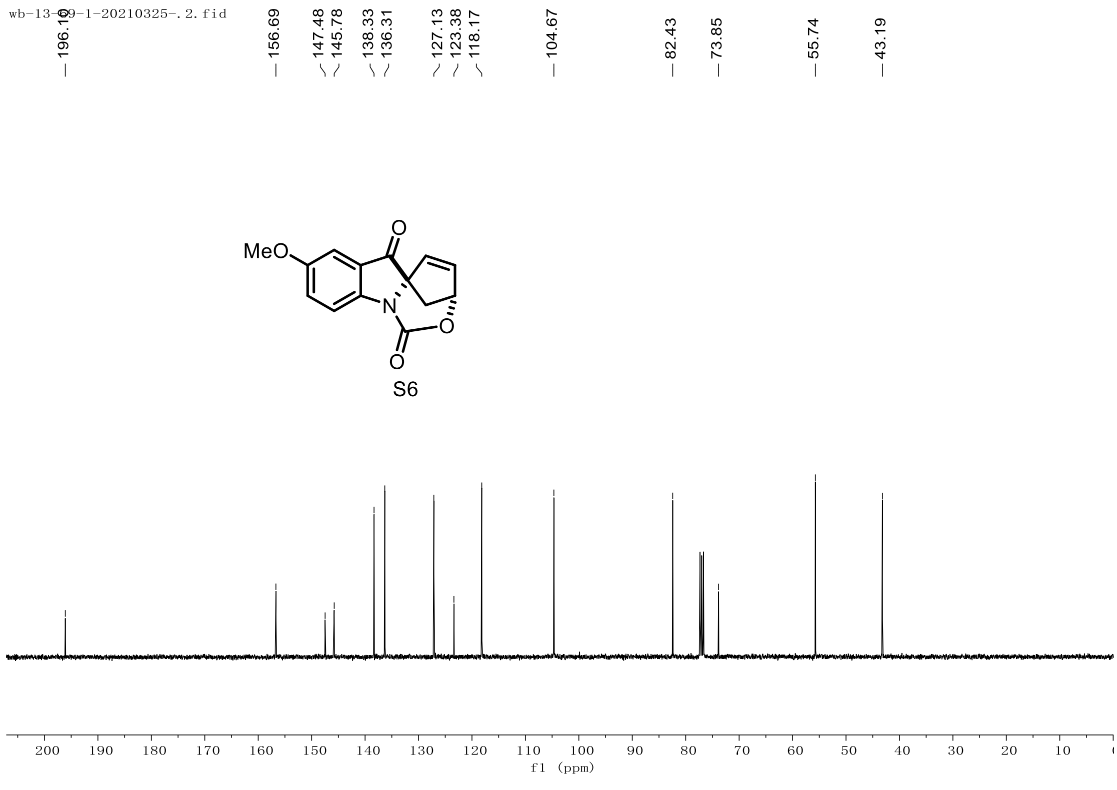
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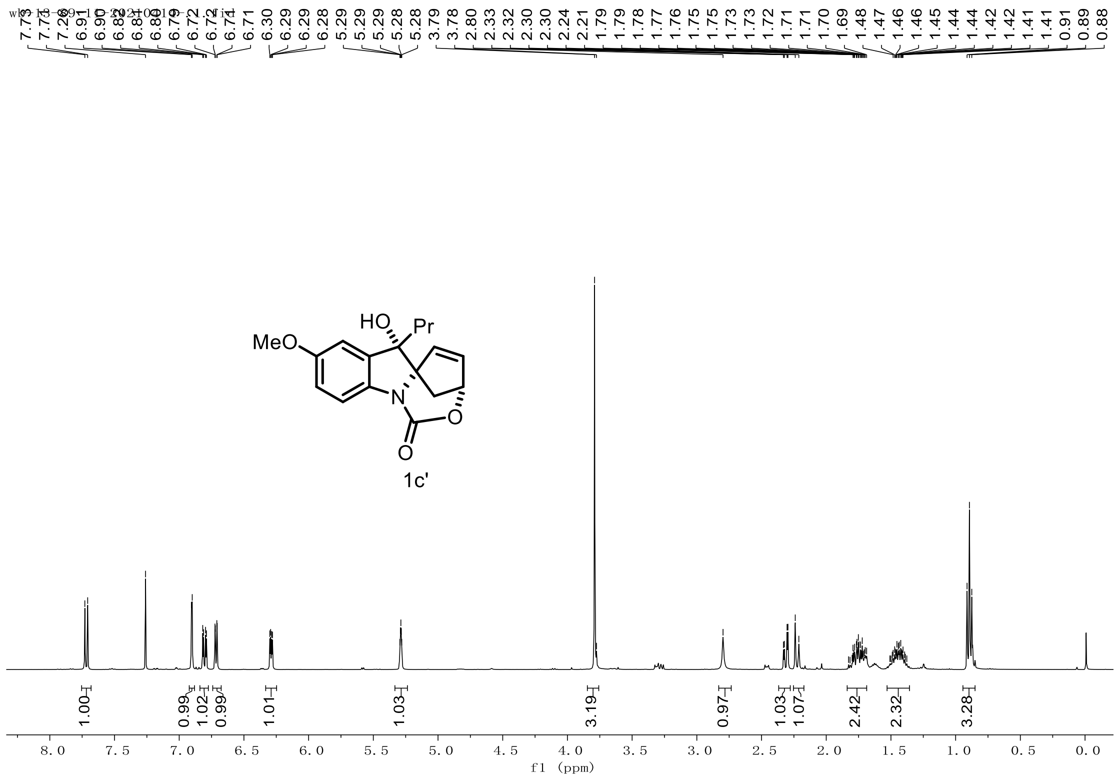

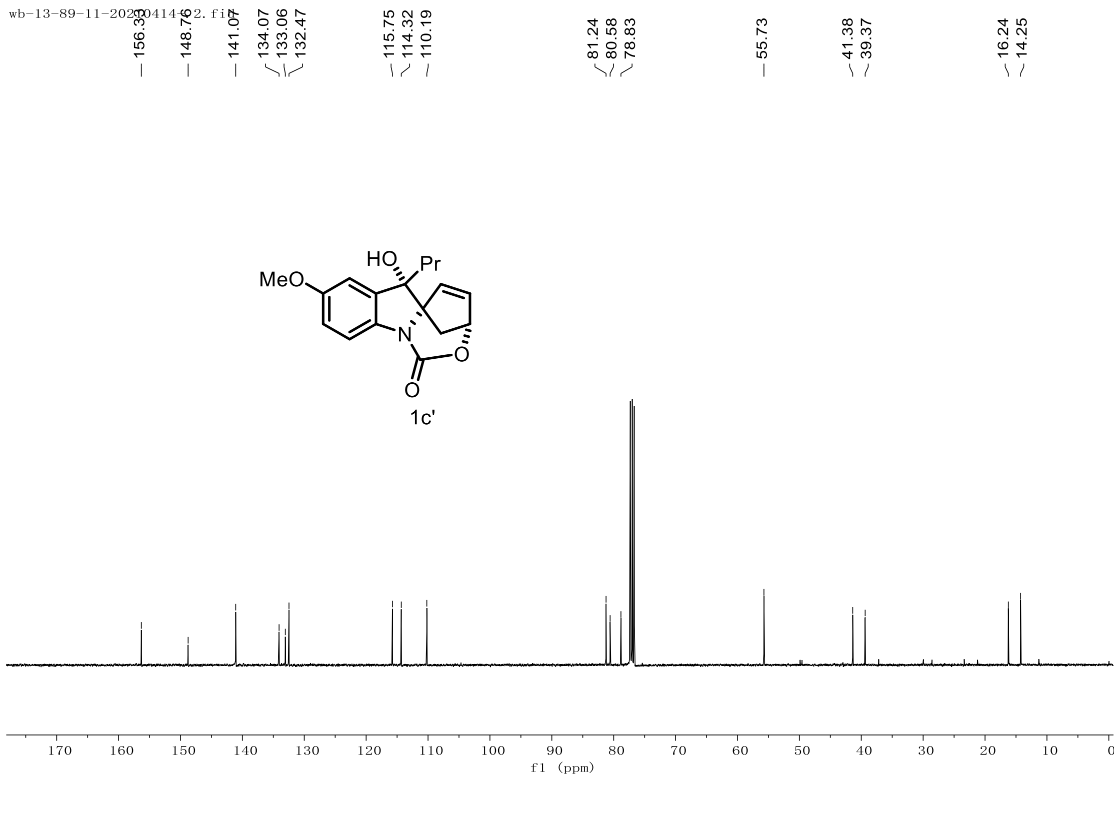

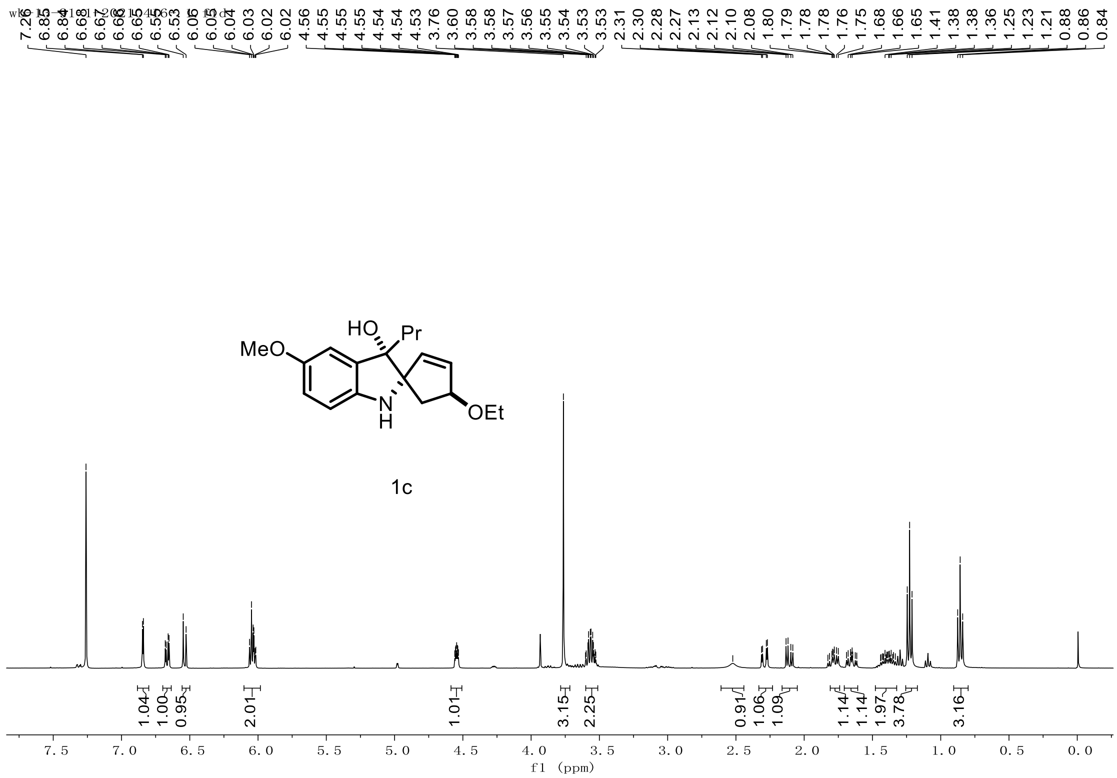
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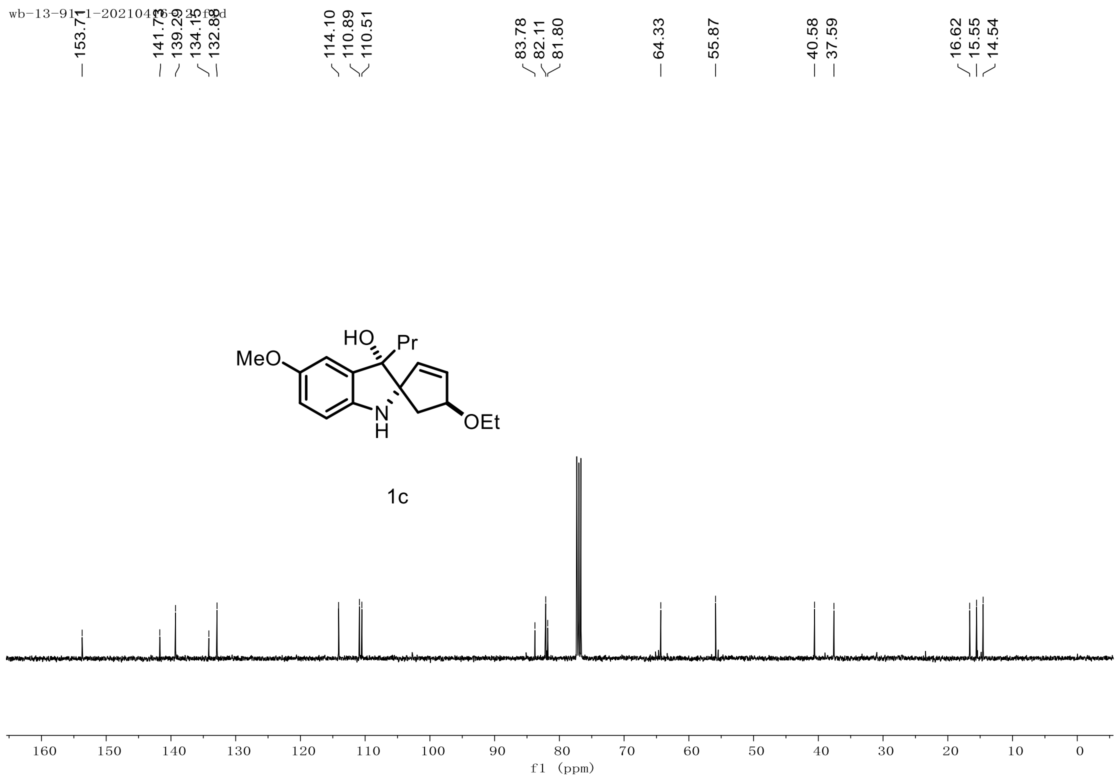
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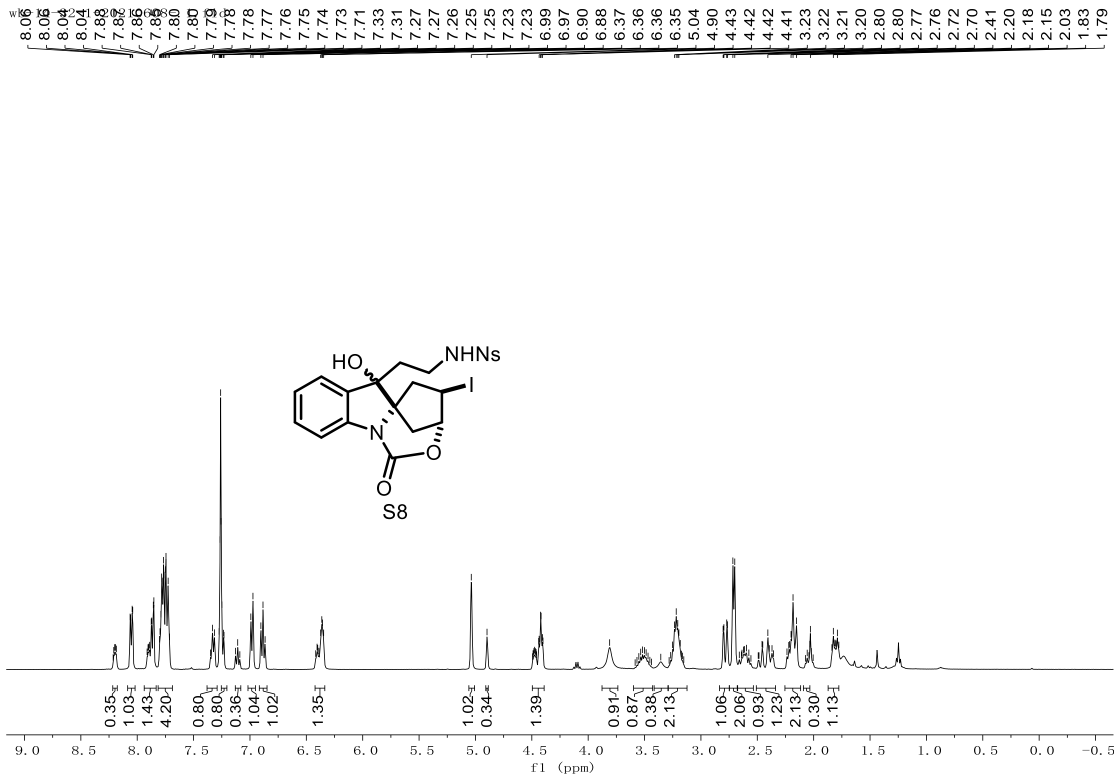
OEt
1c
f1 (ppm)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NHNs
I
N
O
O
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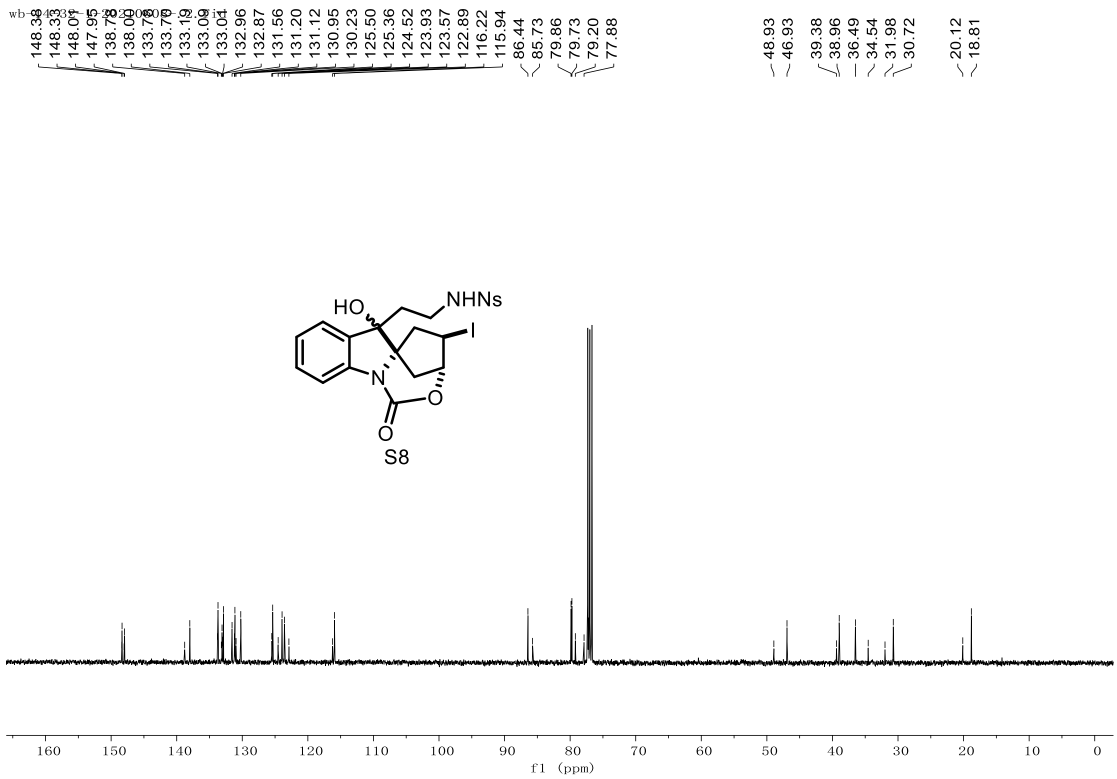
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79.86
79.73
79.20
77.88
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46.93
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38.96
36.49
34.54
31.98
30.72
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S8
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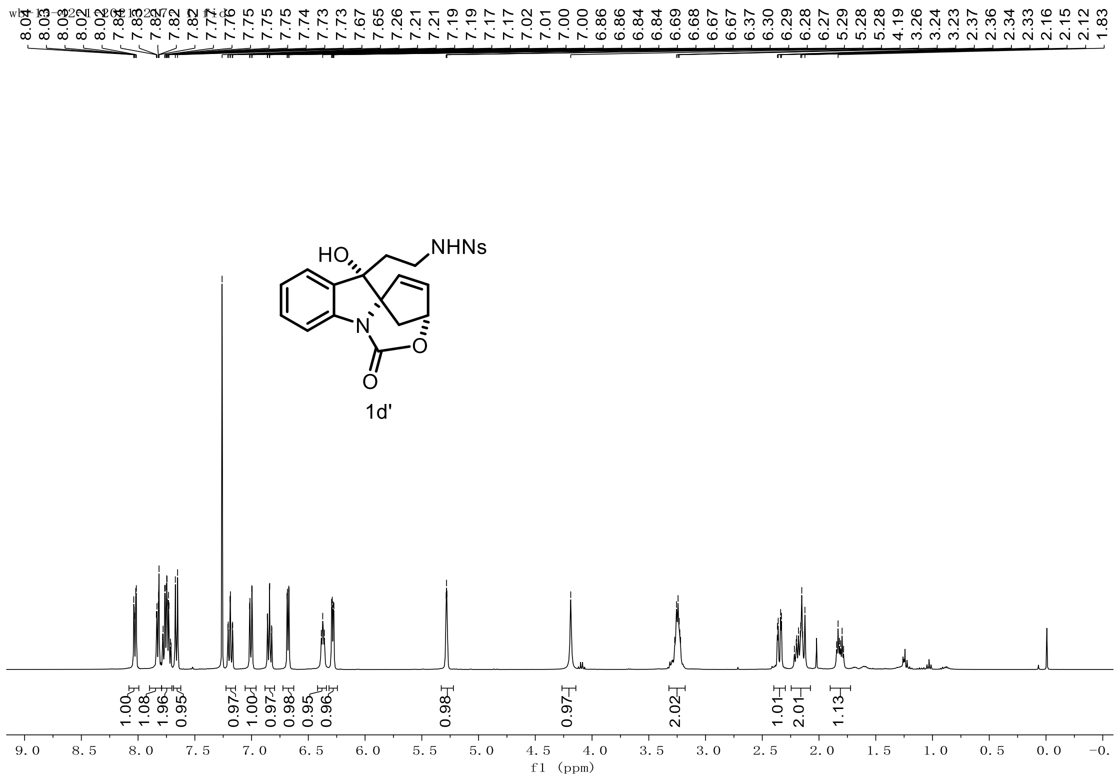
f1 (ppm)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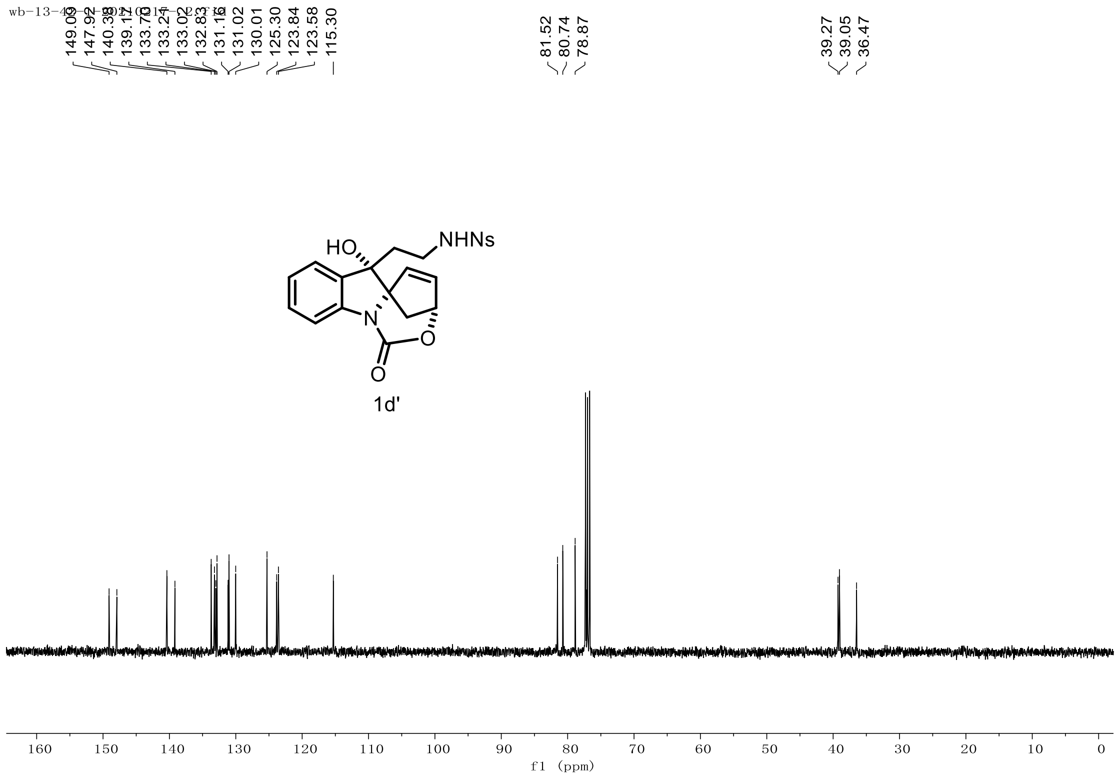
HO
NHNs
N
O
O
1d'
1.00 1.08 1.96 0.95 0.97 1.00 0.97 0.98 0.95 0.96 0.98 0.97 2.02 1.01 2.01 1.13
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.
f1 (ppm)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NHNs
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O
1d'
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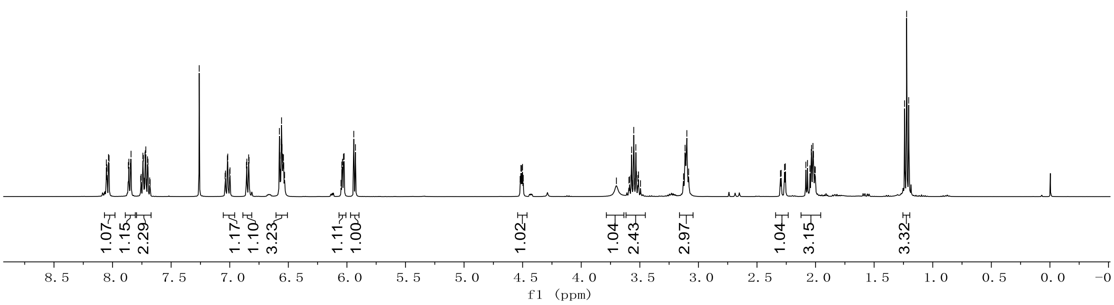
f1 (ppm)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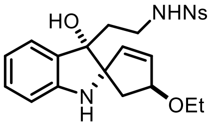
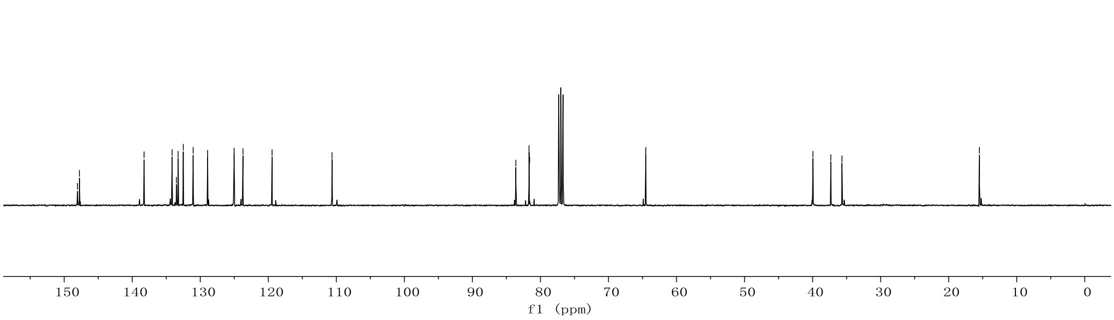

HO
NHNs
N
H
OEt

1d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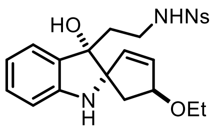
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H
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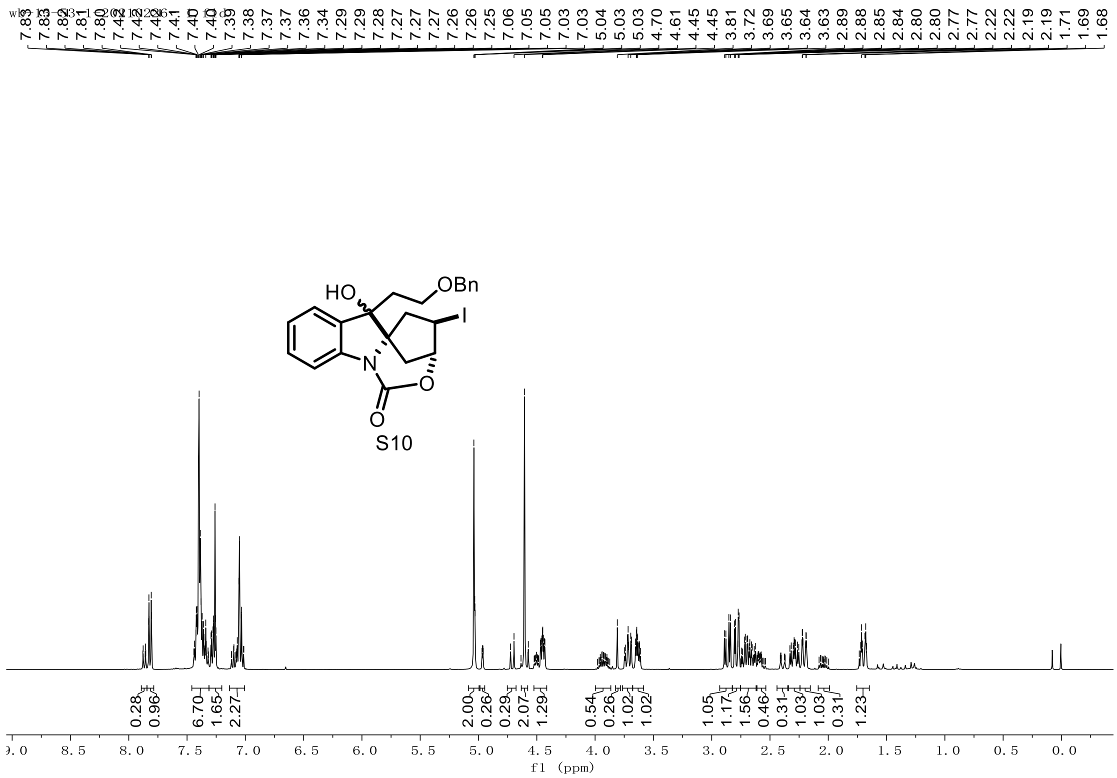
1d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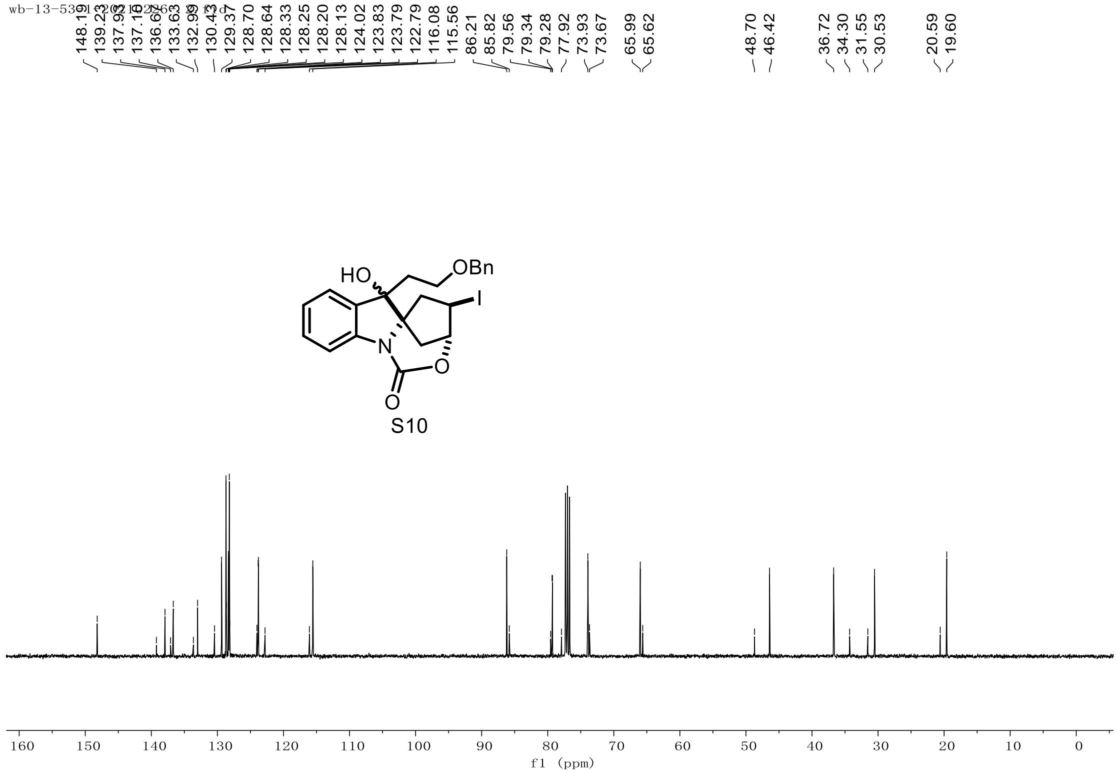
HO
OBn
I
N
O
S10
0.28 0.96 6.70 1.65 2.27 2.00 0.26 0.29 2.07 1.29 0.54 0.26 1.02 1.02 1.05 1.17 1.56 0.46 0.31 1.03 1.03 0.31 1.23
f1 (ppm)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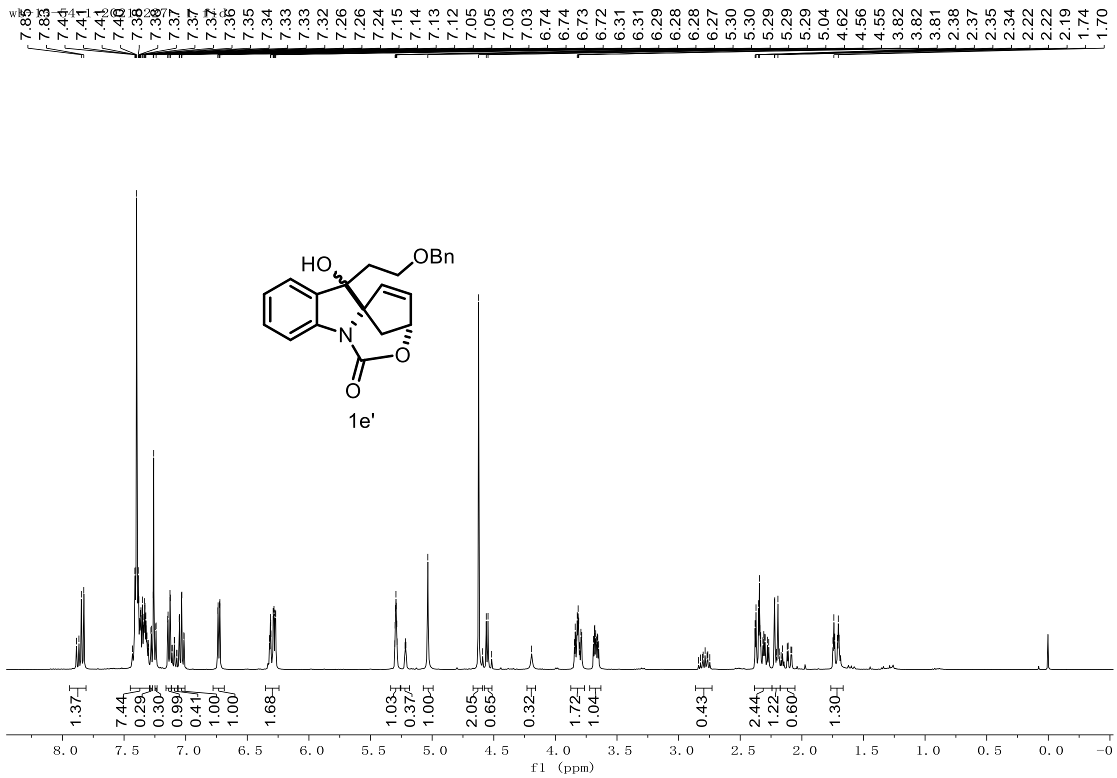
f1 (ppm)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HO
OBn
N
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O
1e'
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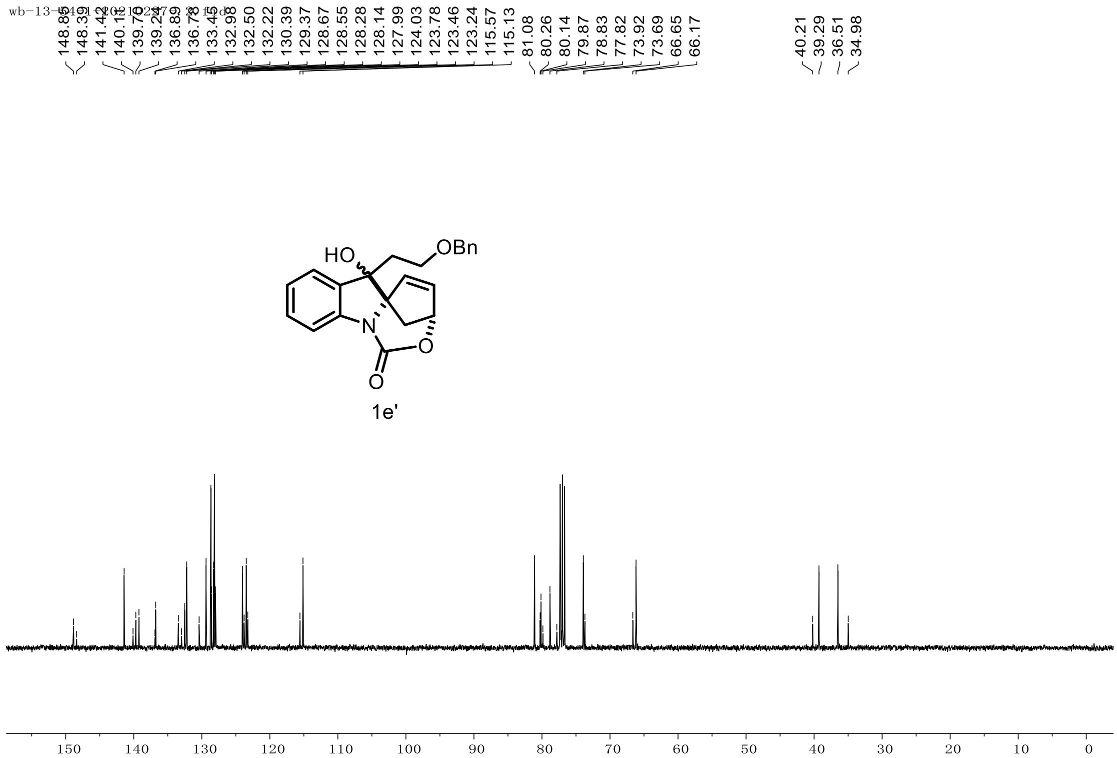
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O
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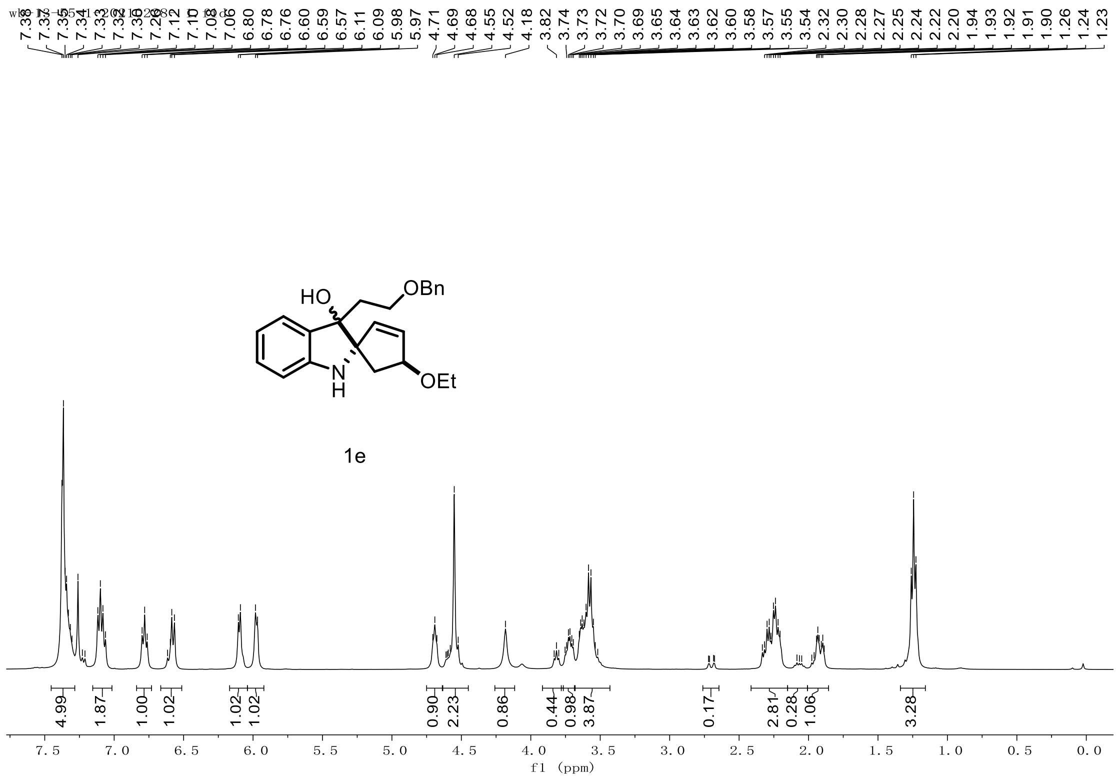
f1 (ppm)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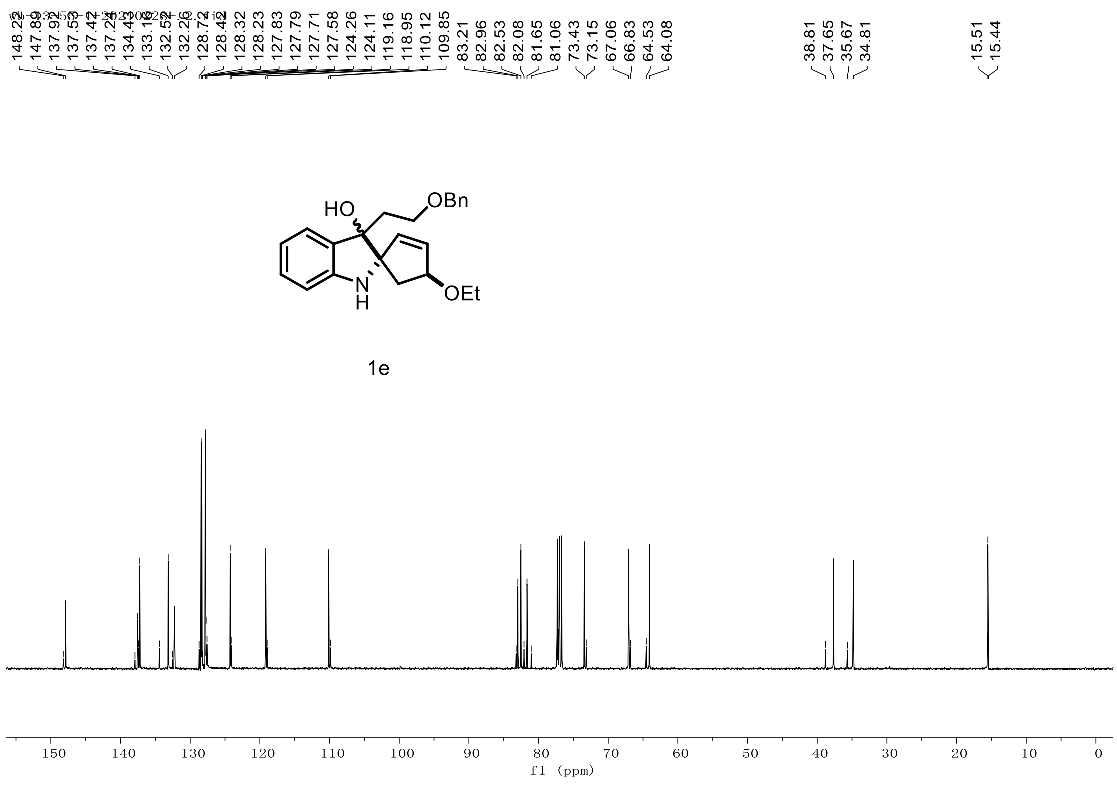
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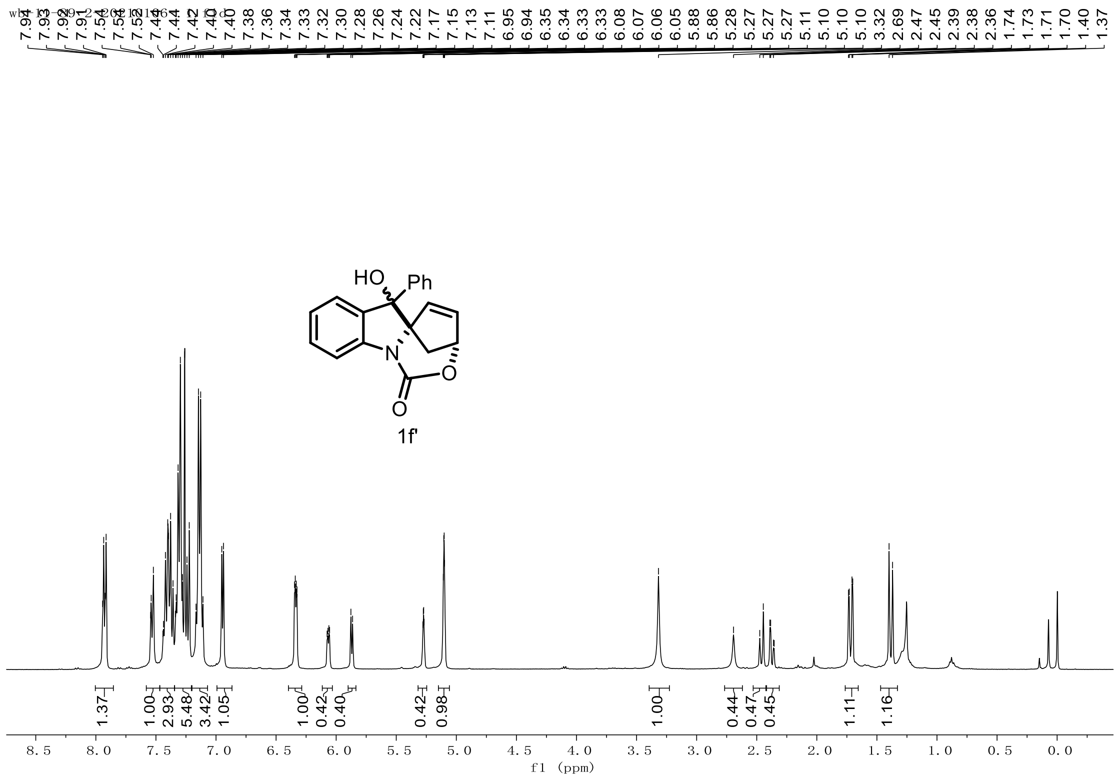
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HO
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1f'
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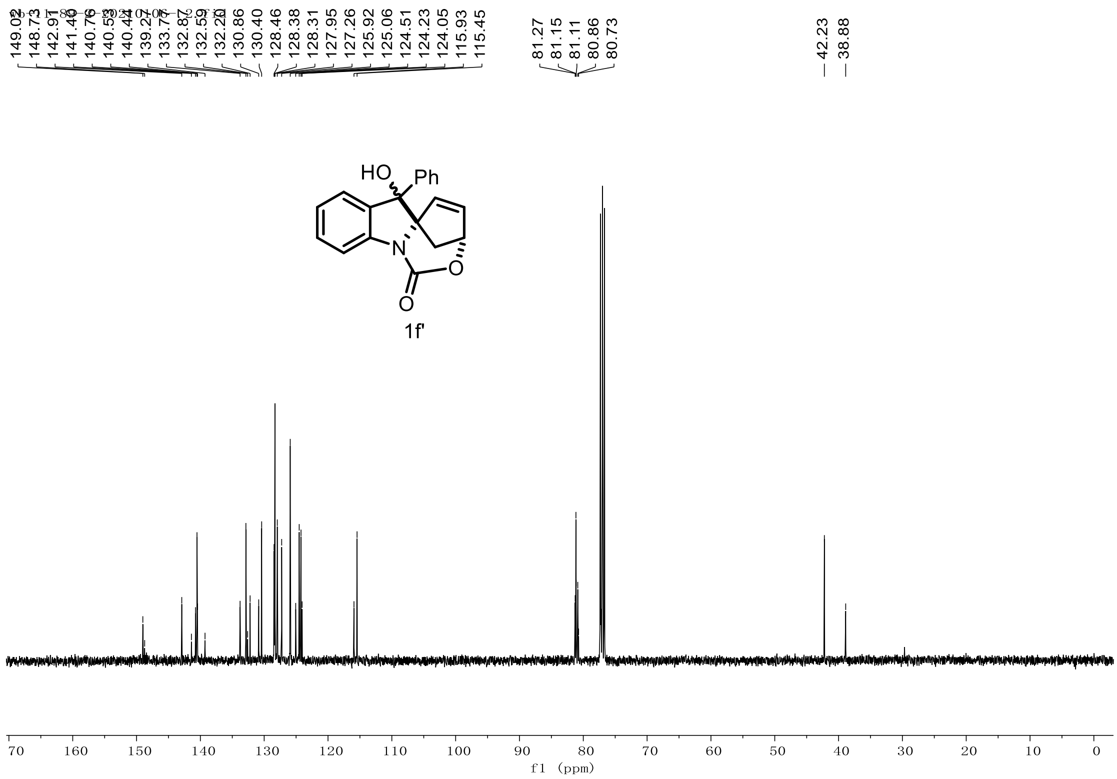
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81.27 81.15 81.11 80.86 80.73
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O
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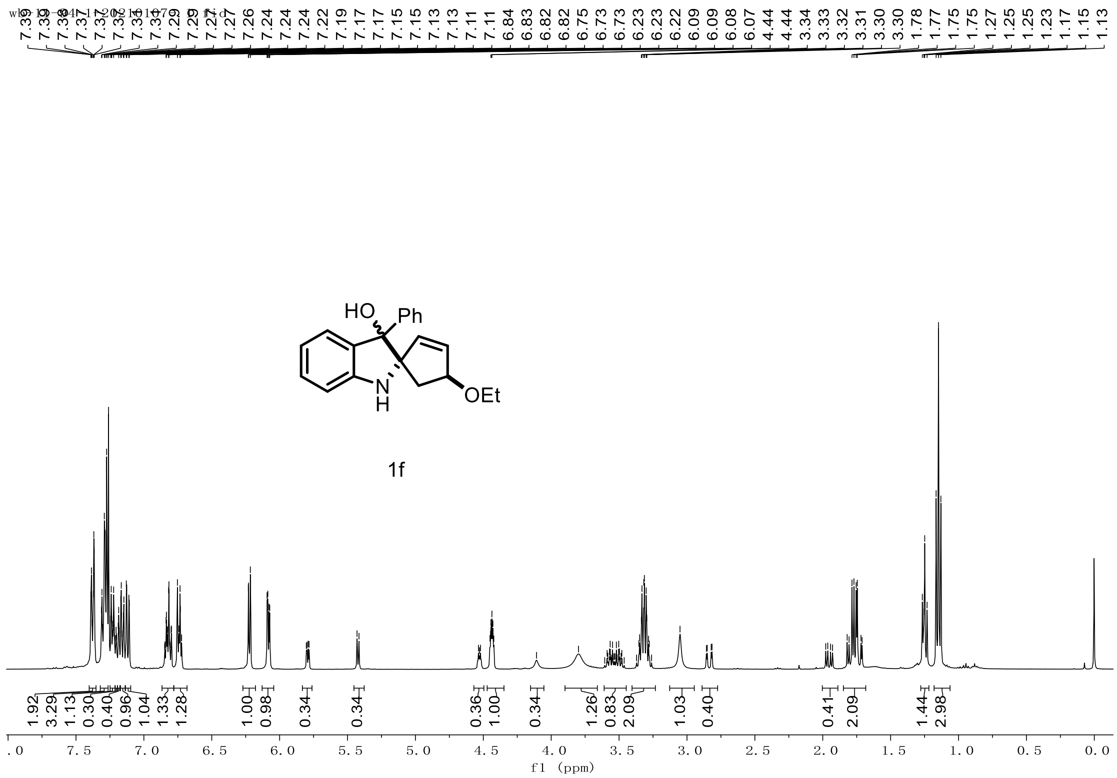
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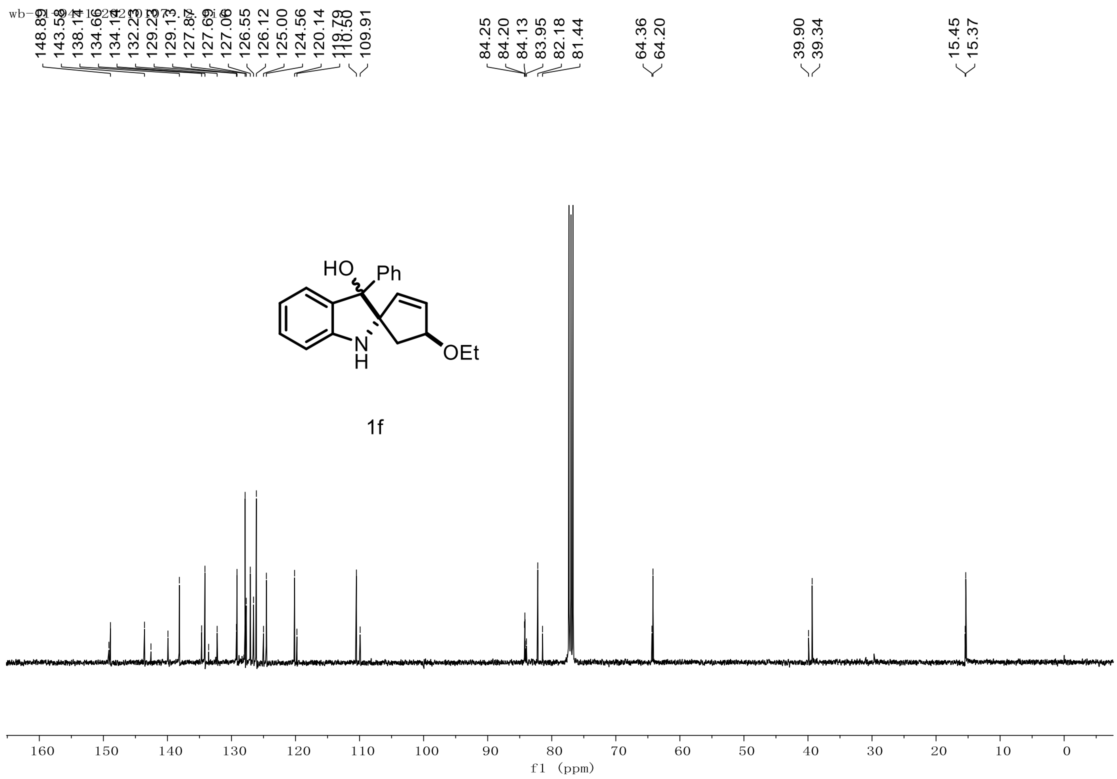
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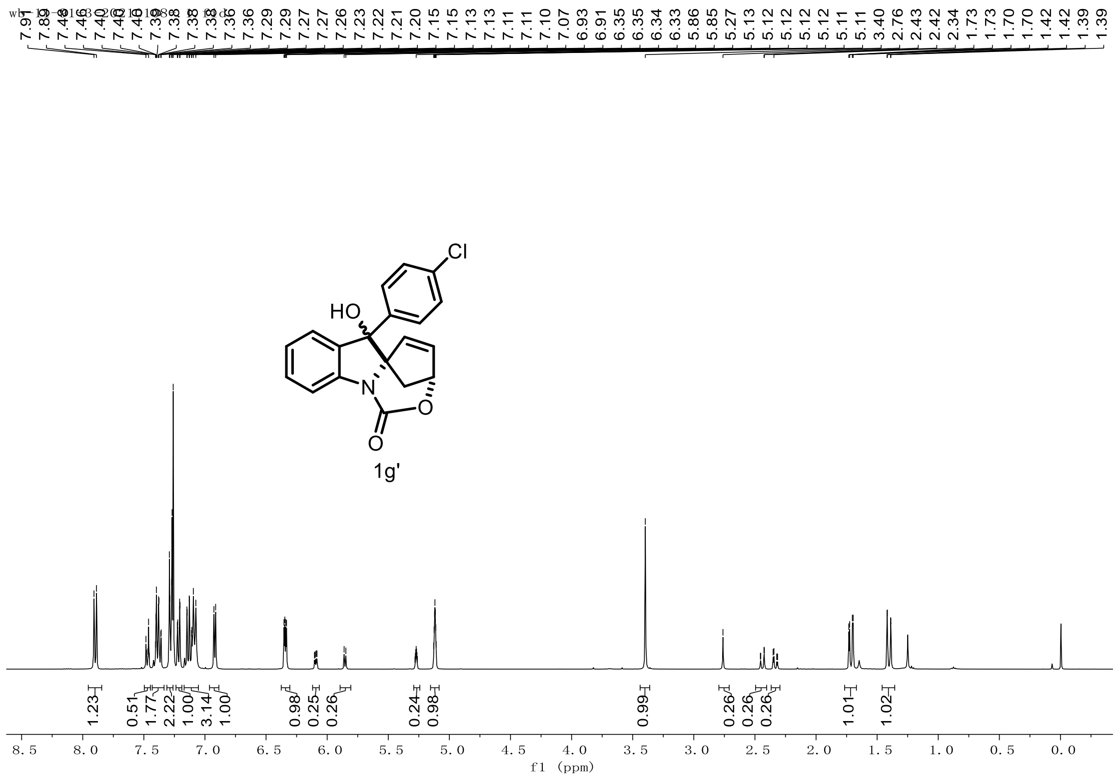
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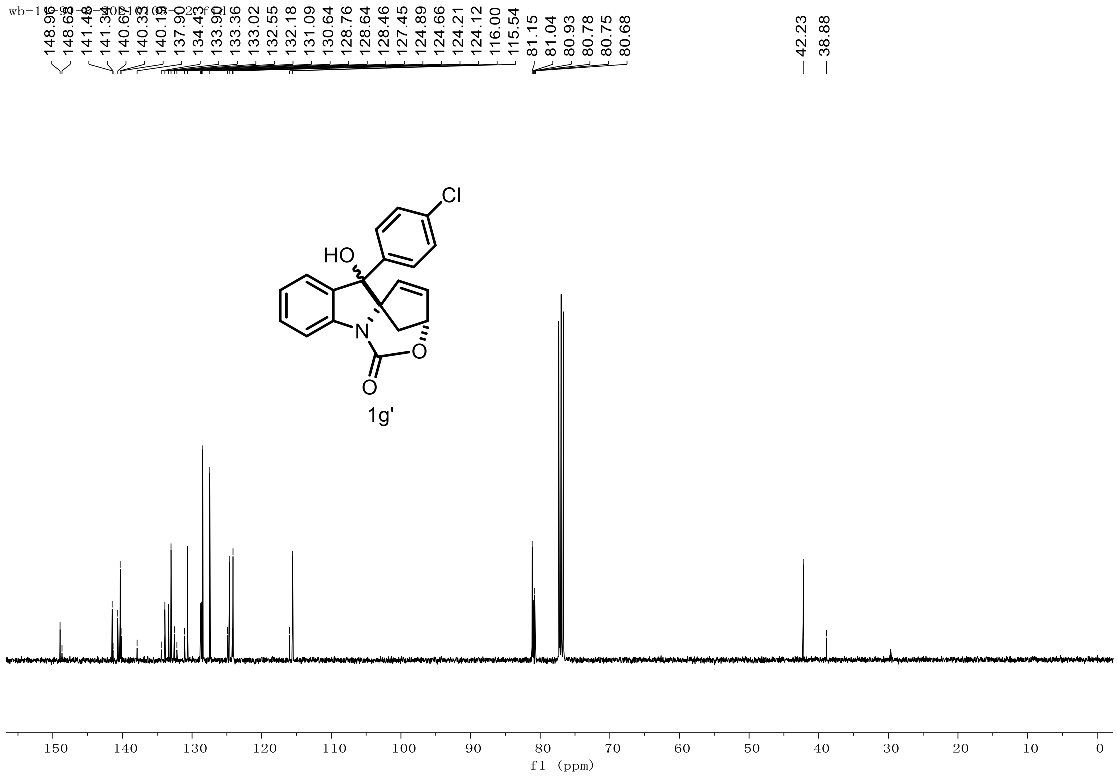
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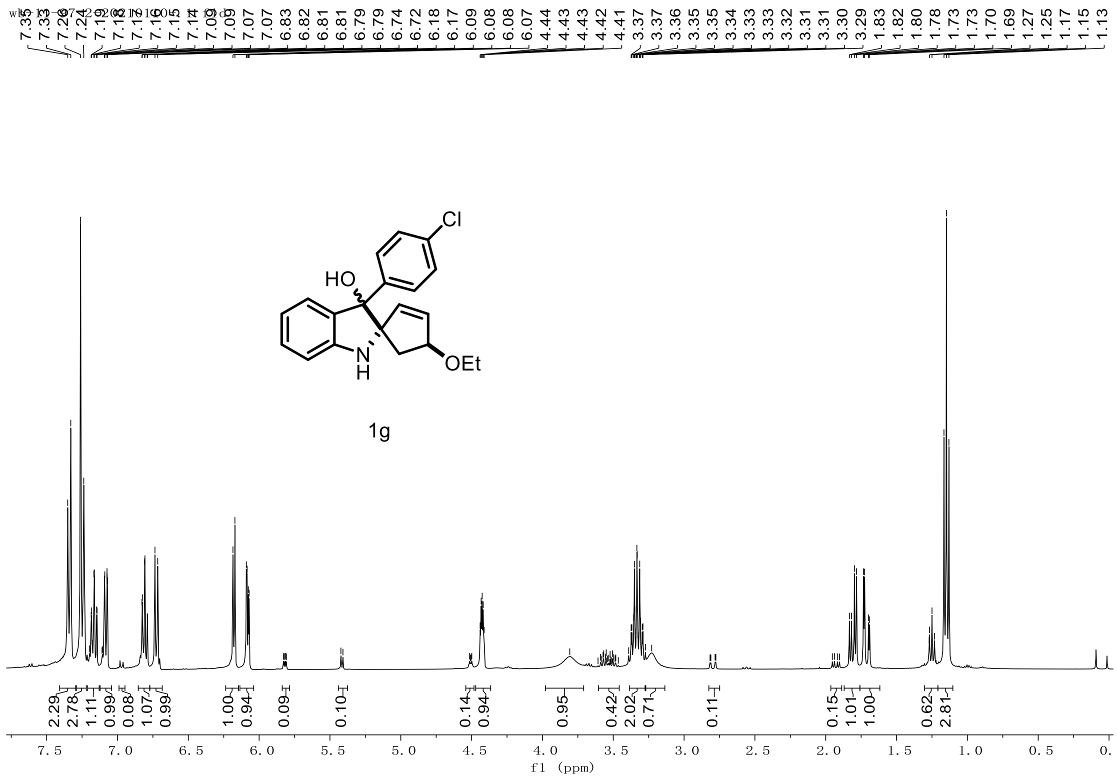
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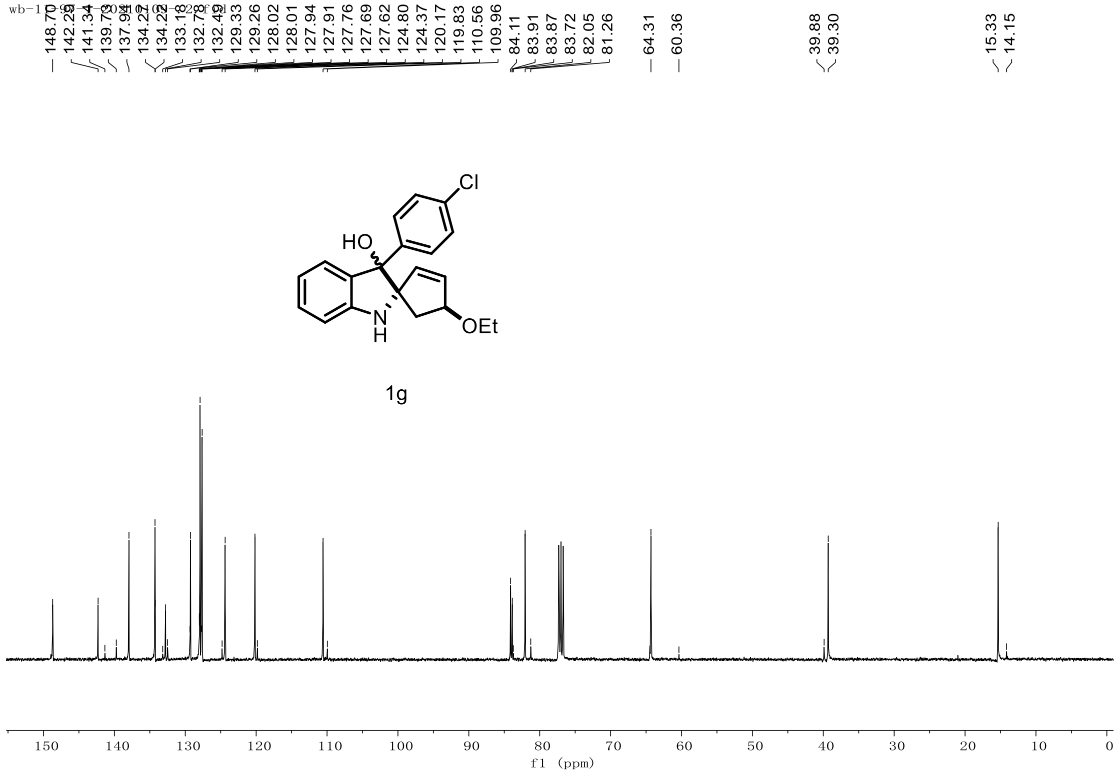
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Cl
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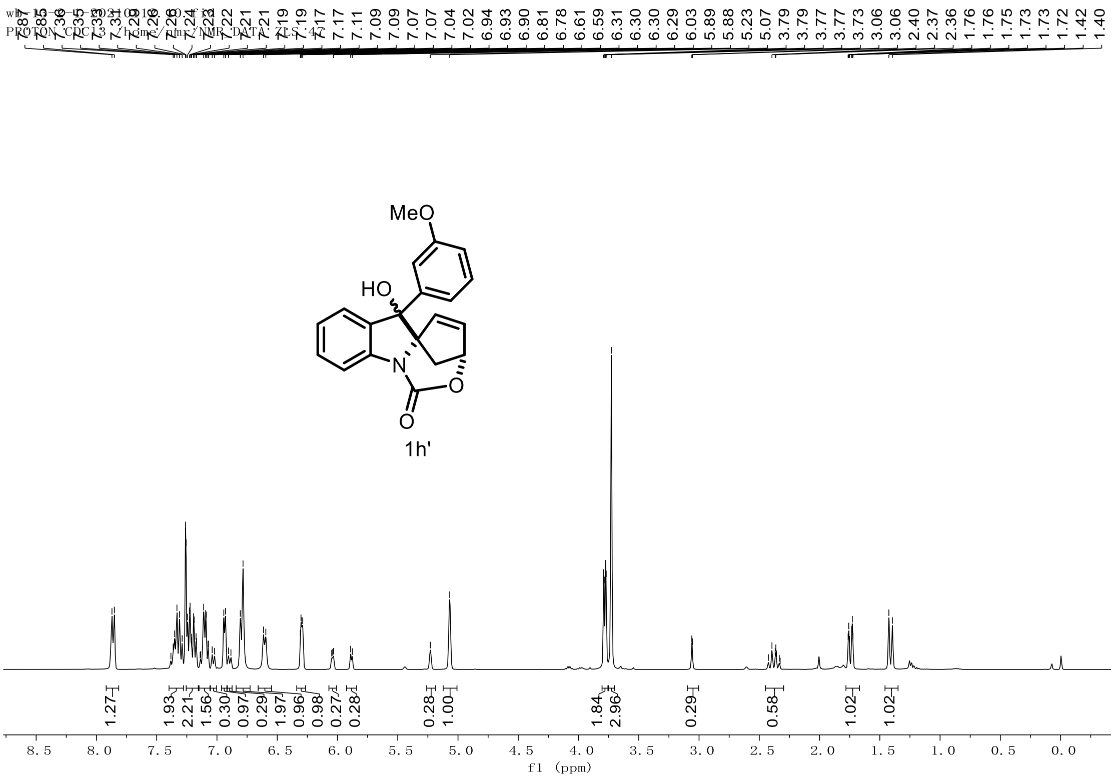
H
OEt
f1 (ppm)

1g



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HO
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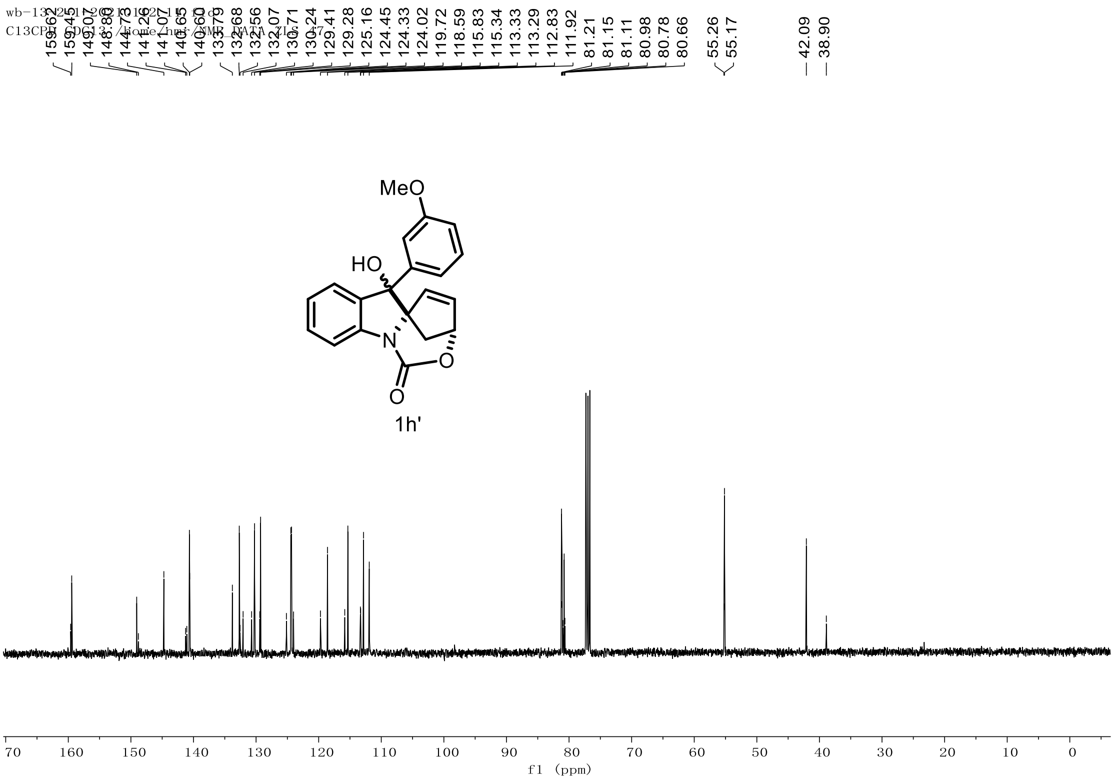
1h'
f1 (ppm)



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81.11
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55.17
42.09
38.90
MeO
HO
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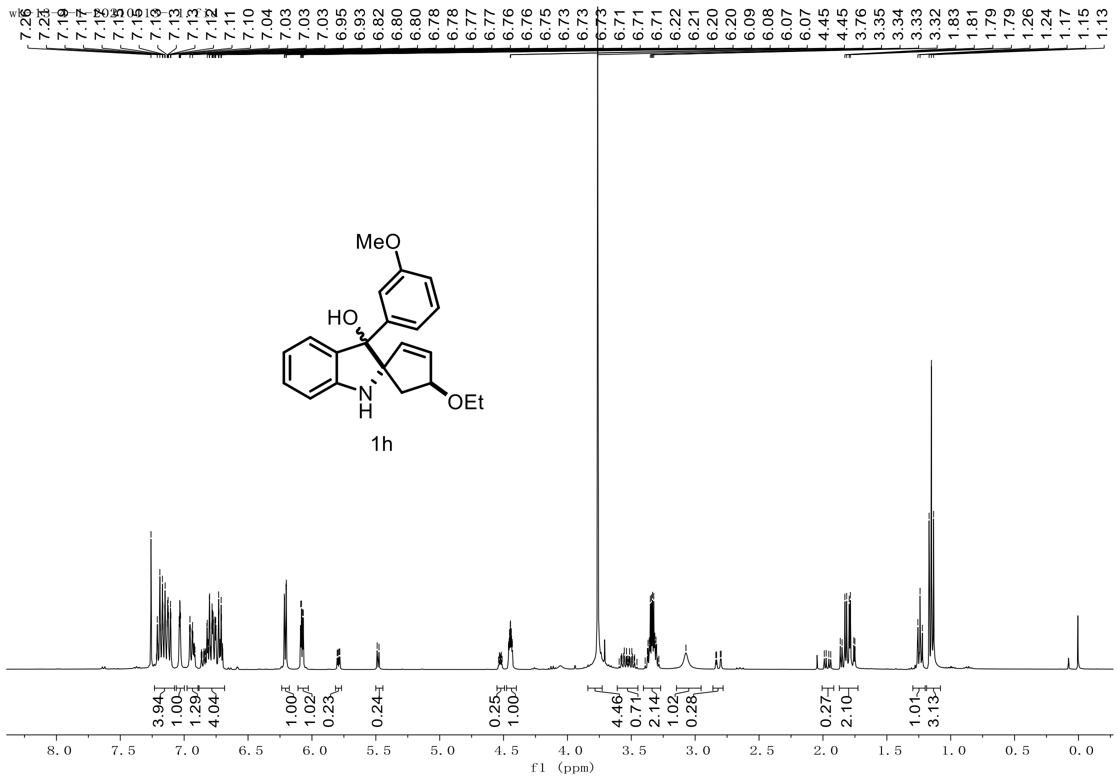
O
O
1h'
f1 (ppm)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HO
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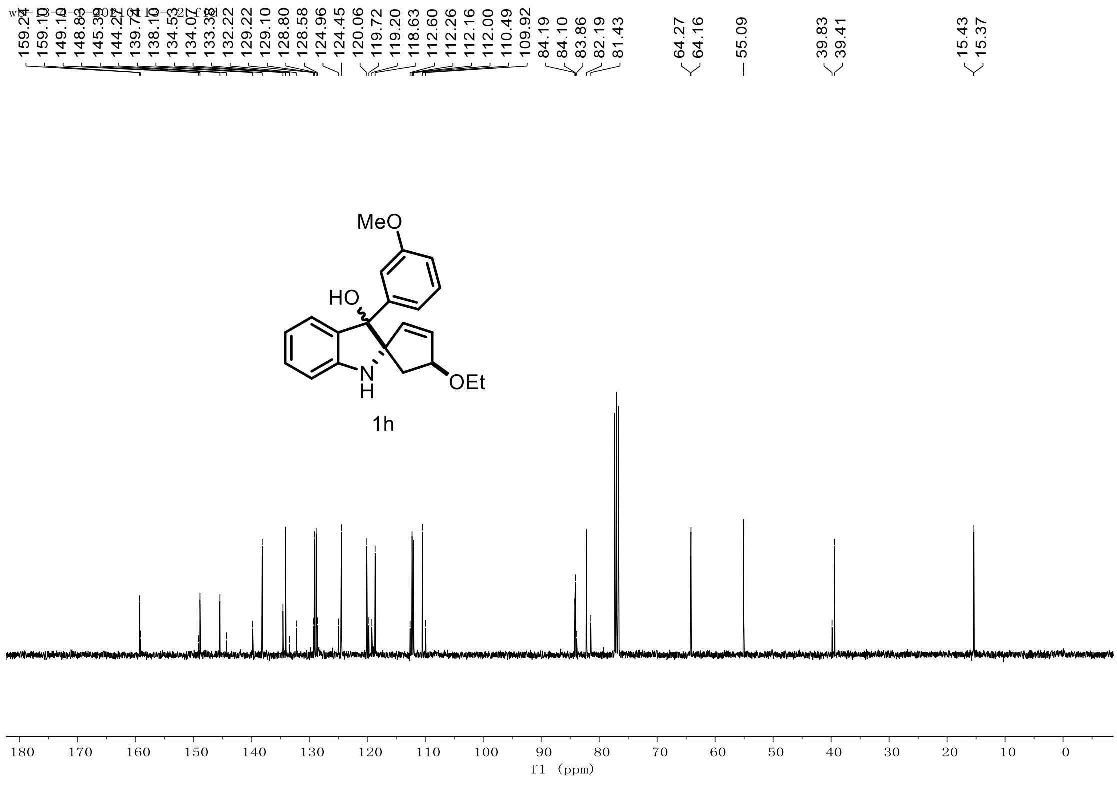
OEt
1h
f1 (ppm)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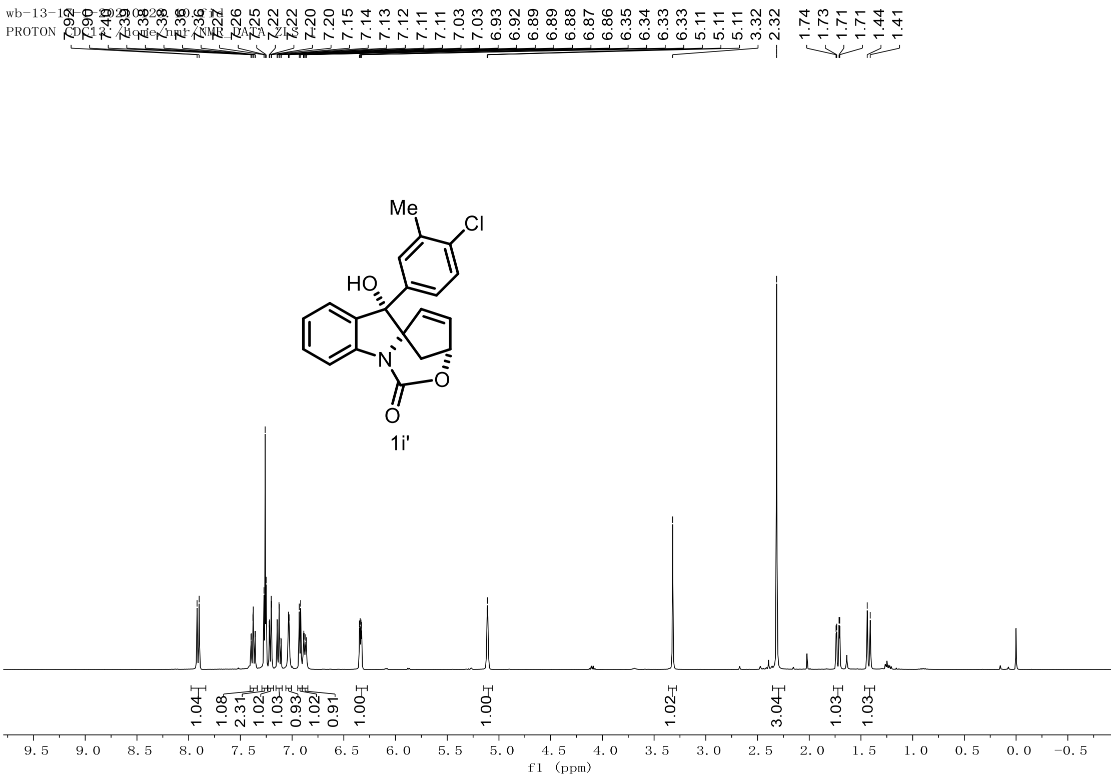
HO
N
H
OEt
1h
f1 (ppm)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7.15
7.14
7.13
7.12
7.11
7.11
7.03
7.03
6.93
6.92
6.89
6.88
6.87
6.86
6.35
6.34
6.33
5.11
5.11
5.11
3.32
2.32
1.74
1.73
1.71
1.71
1.44
1.41
Me
Cl
HO
N
O
O
1i'
1.04
1.08
2.31
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1.03
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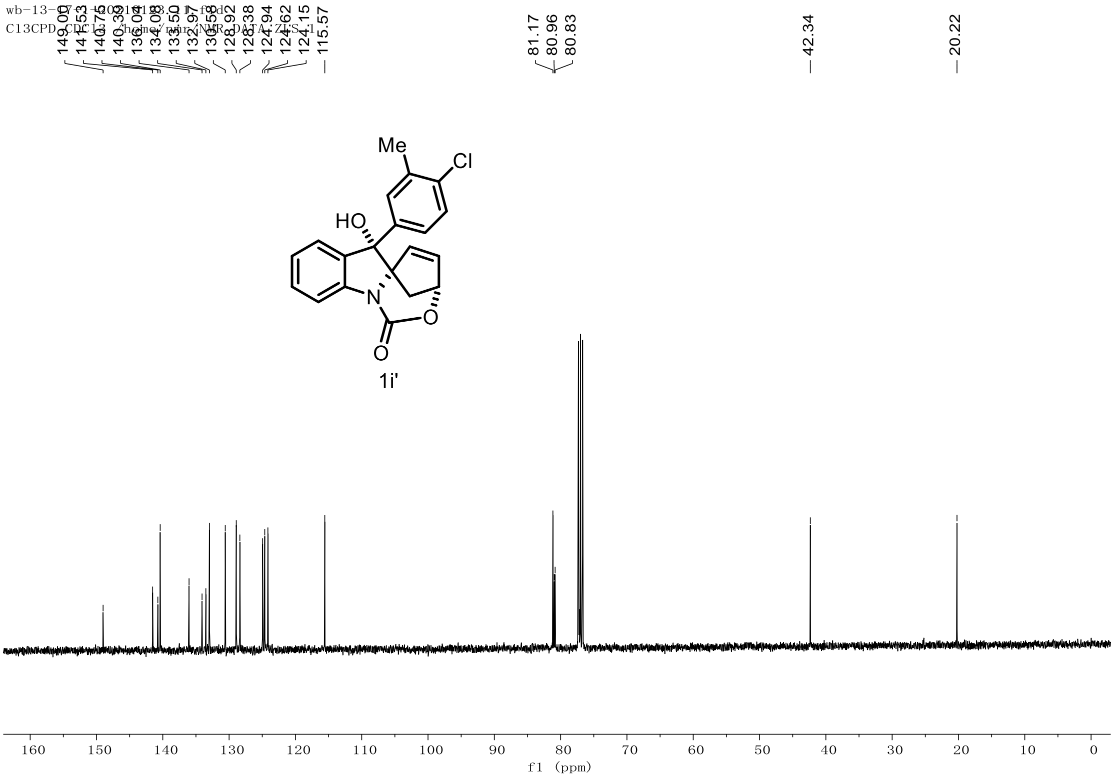
1.02
0.91
1.00
1.00
1.02
3.04
1.03
1.03
f1 (ppm)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124.62
124.15
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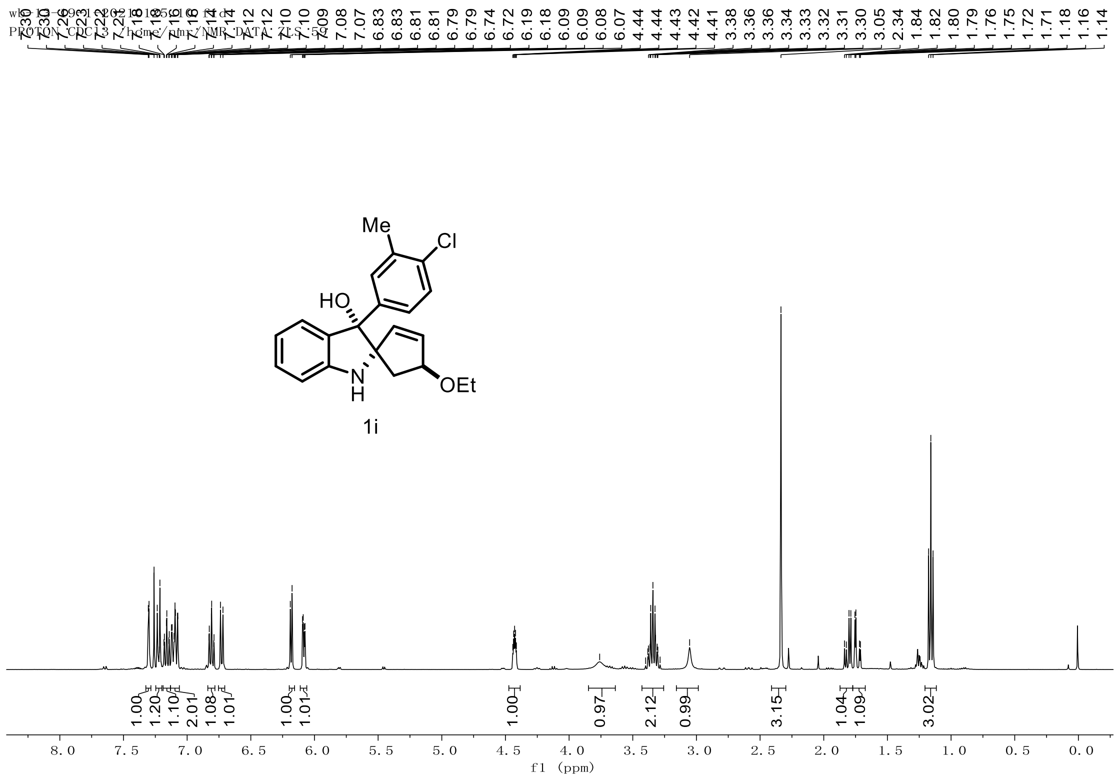
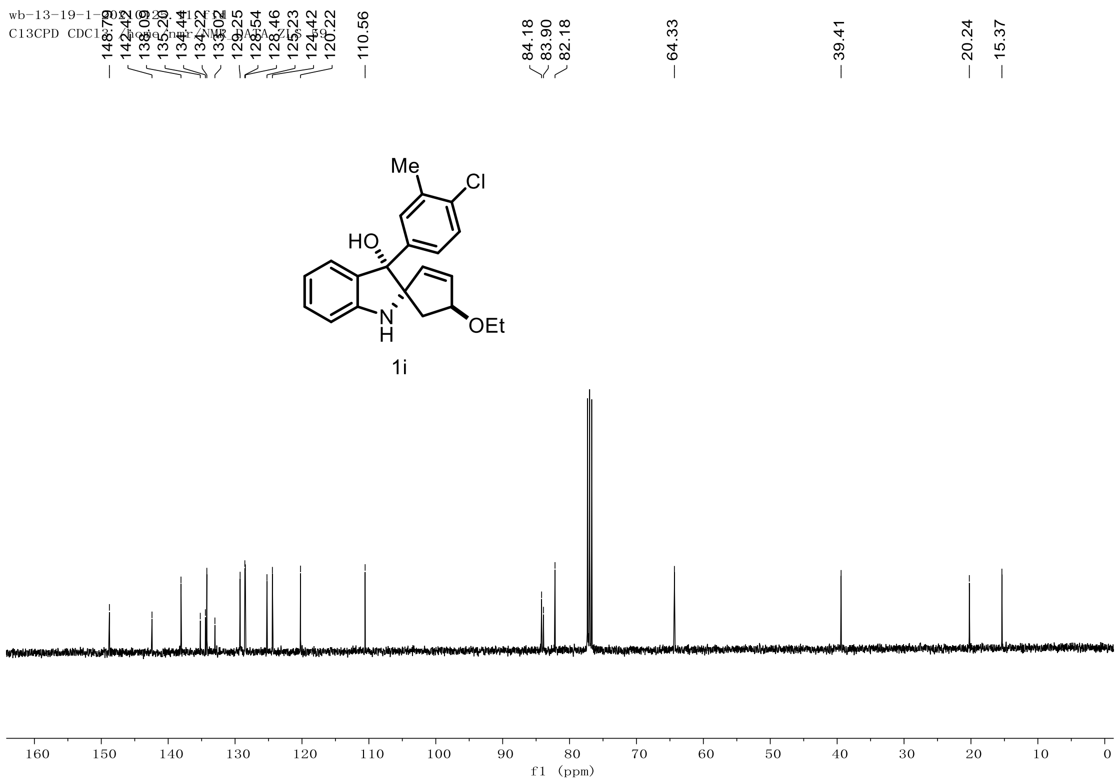
80.83
42.34
20.22
Me
Cl
HO
N
O
O
1i'
f1 (ppm)


Me
Cl
HO
N
H
OEt
1i
f1 (ppm)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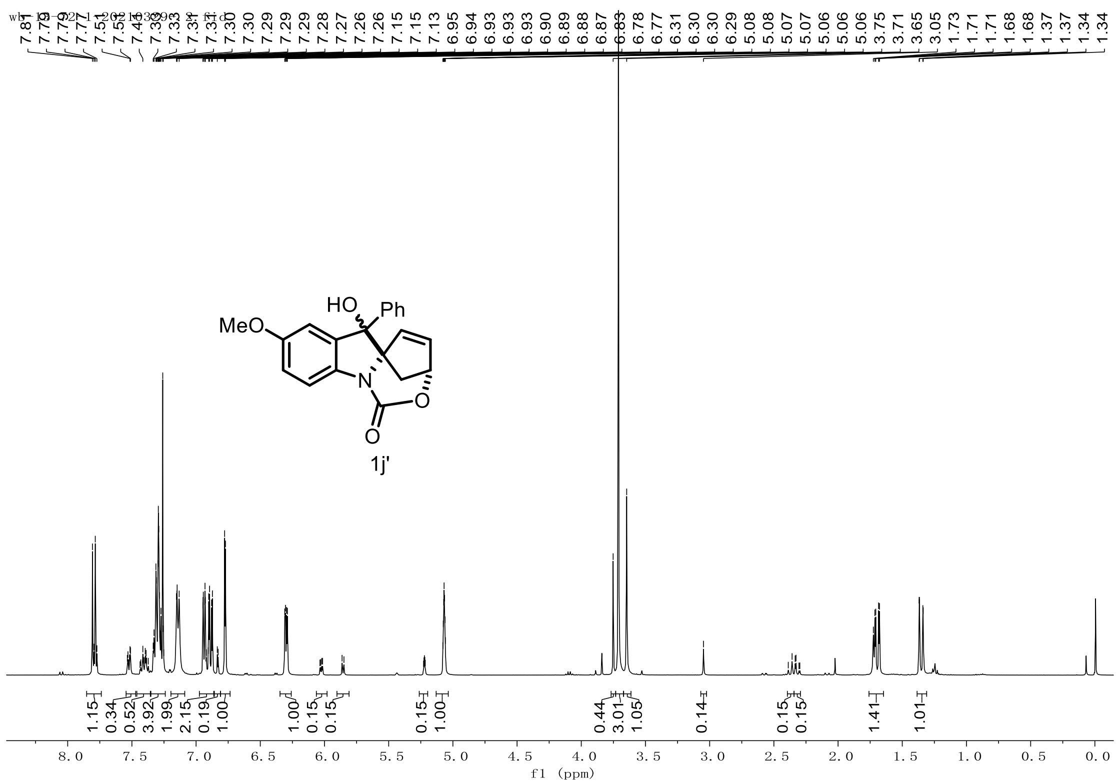
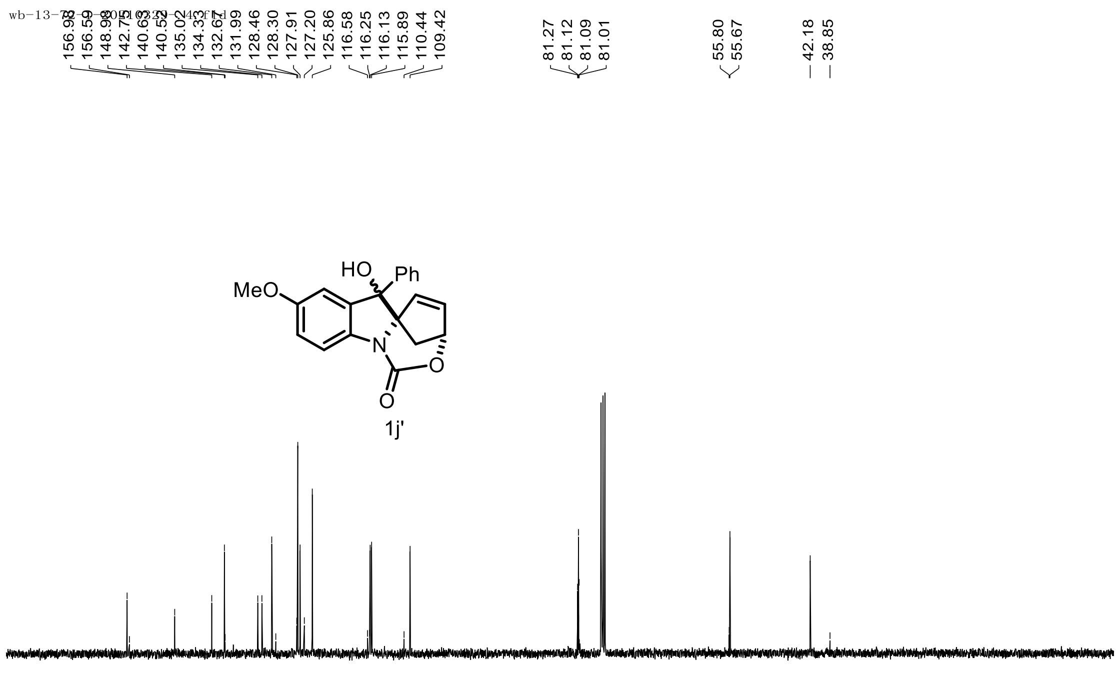
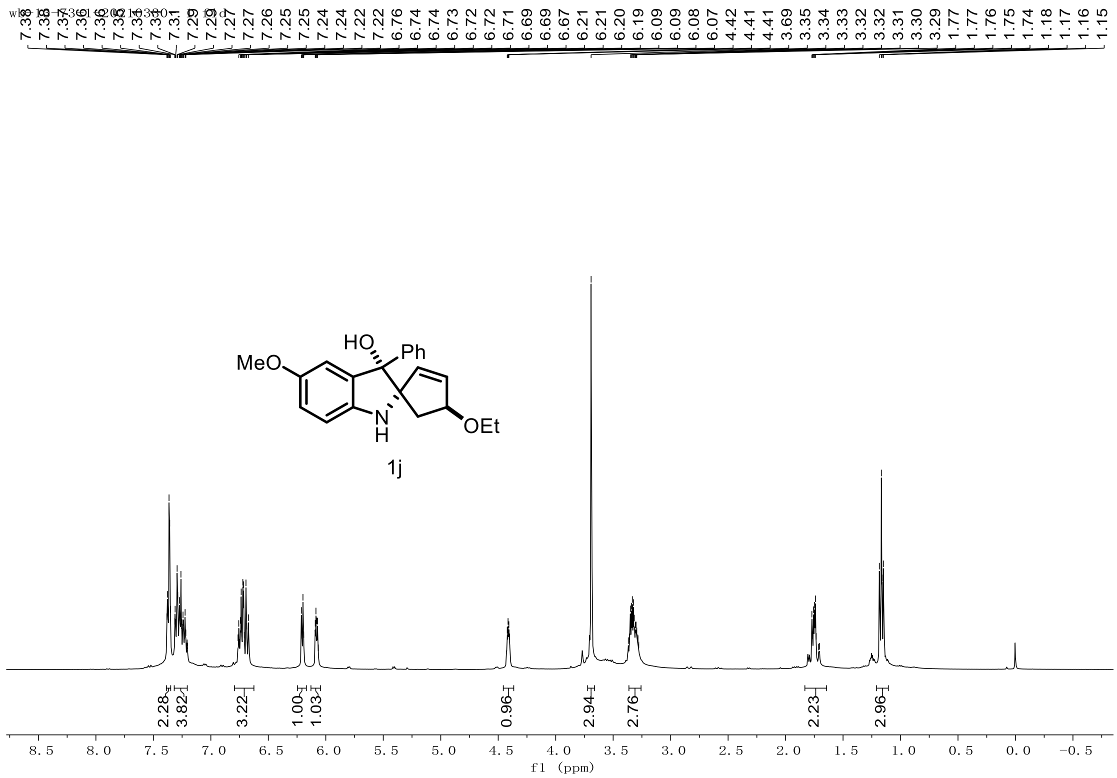
82.18
64.33
39.41
20.24
15.37
Me
Cl
HO
N
H
OEt
1i
f1 (ppm)



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6.74
6.73
6.72
6.72
6.71
6.69
6.69
6.67
6.21
6.20
6.19
6.09
6.09
6.08
6.07
4.42
4.41
4.41
3.69
3.35
3.34
3.33
3.32
3.32
3.31
3.30
3.29
1.77
1.77
1.76
1.75
1.74
1.18
1.17
1.16
1.15
MeO
HO
Ph
N
H
OEt
1j
2.28
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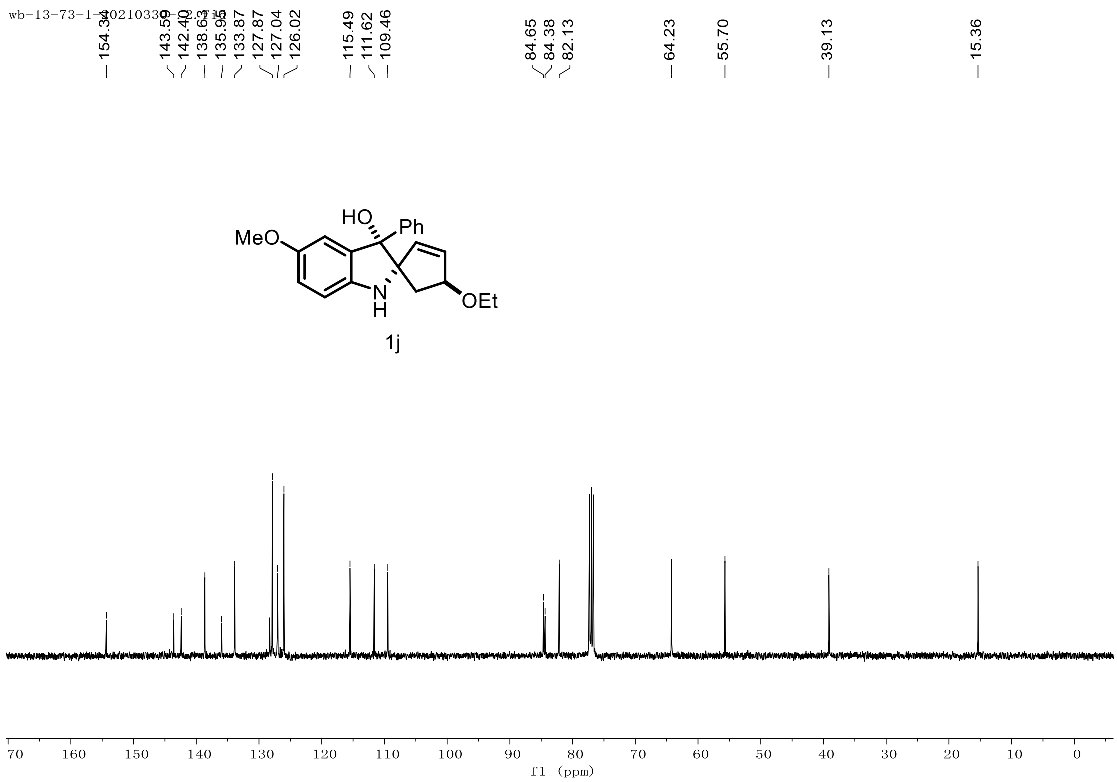
3.22
1.00
1.03
0.96
2.94
2.76
2.23
2.96
f1 (ppm)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111.62
109.46
84.65
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82.13
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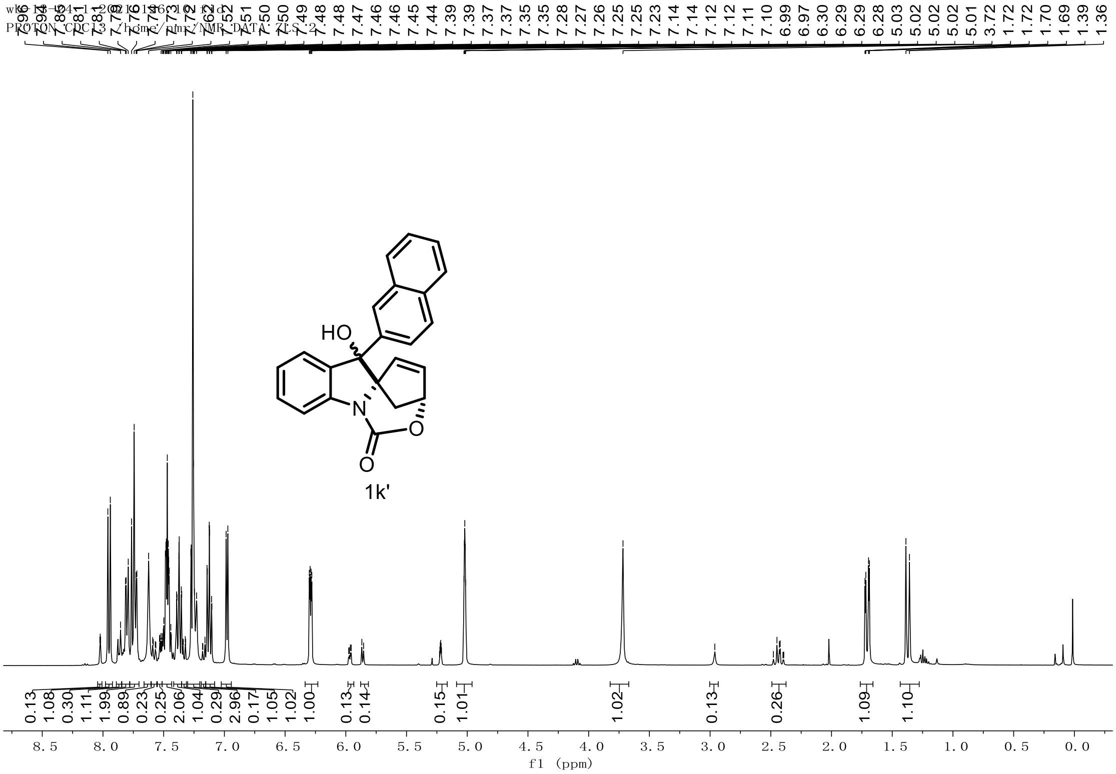
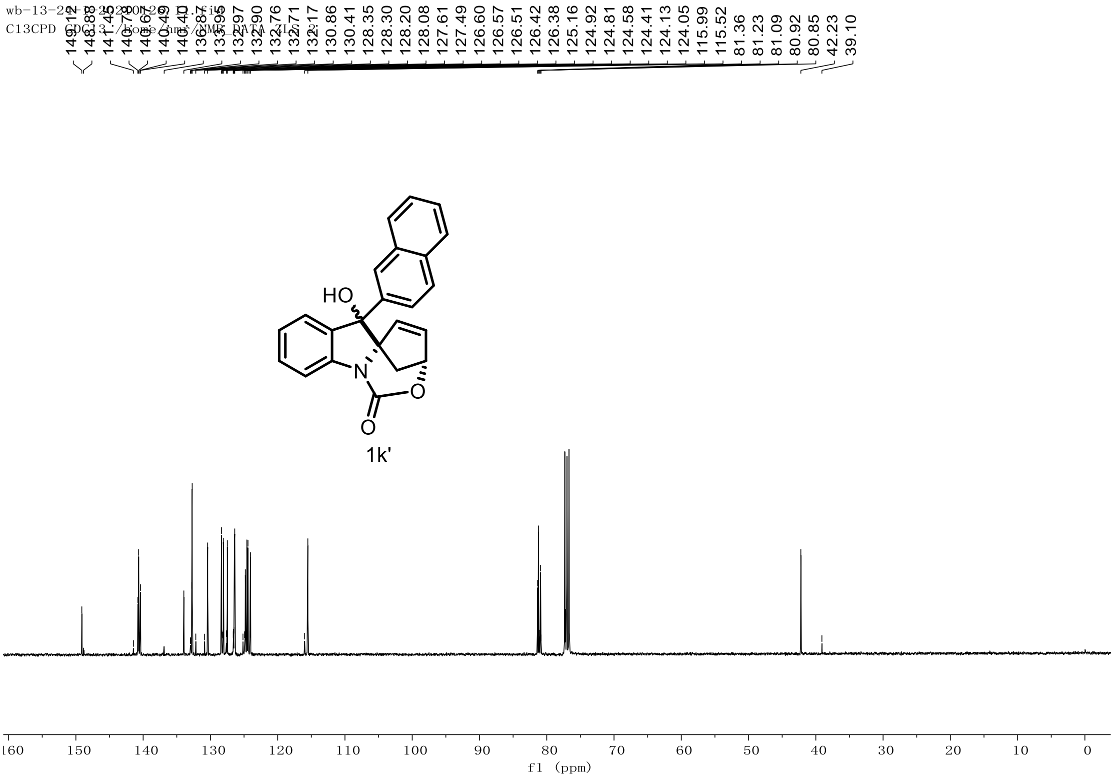
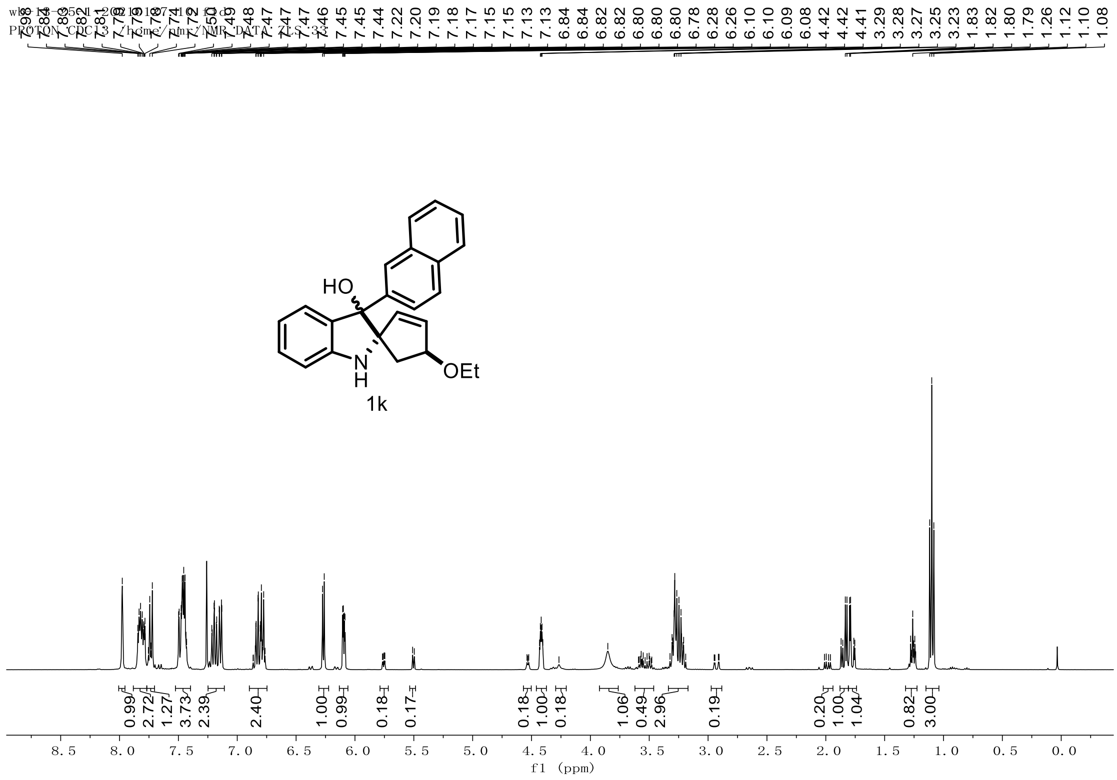
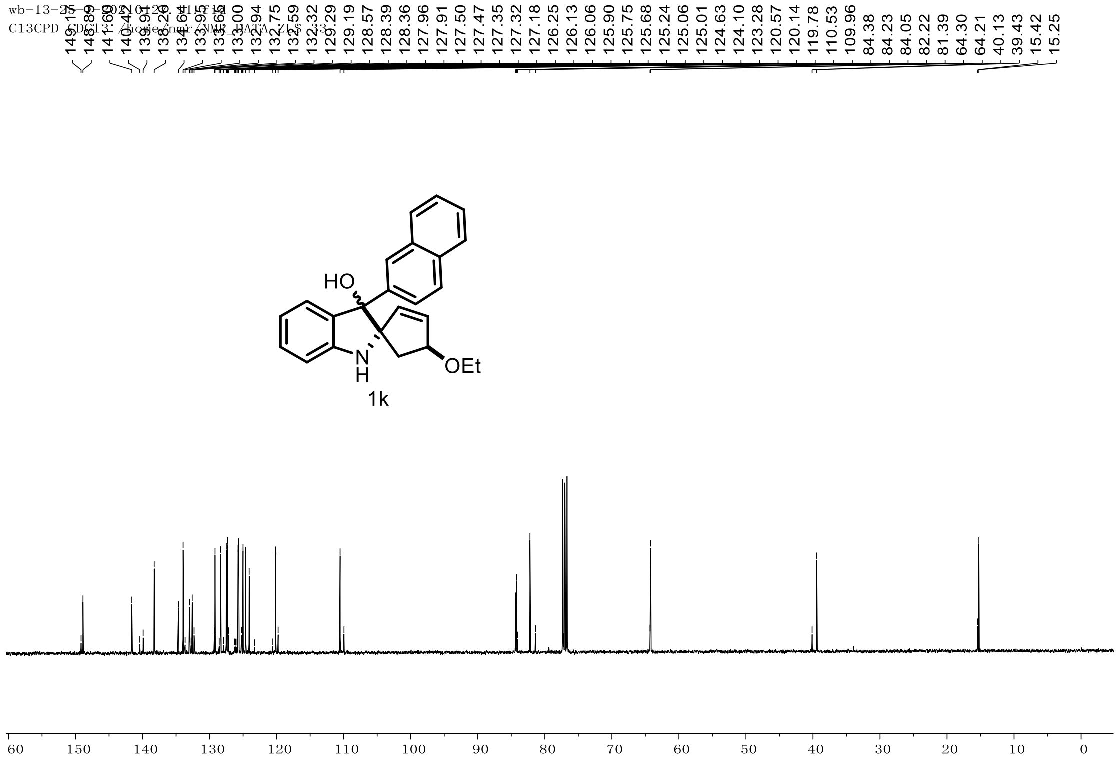
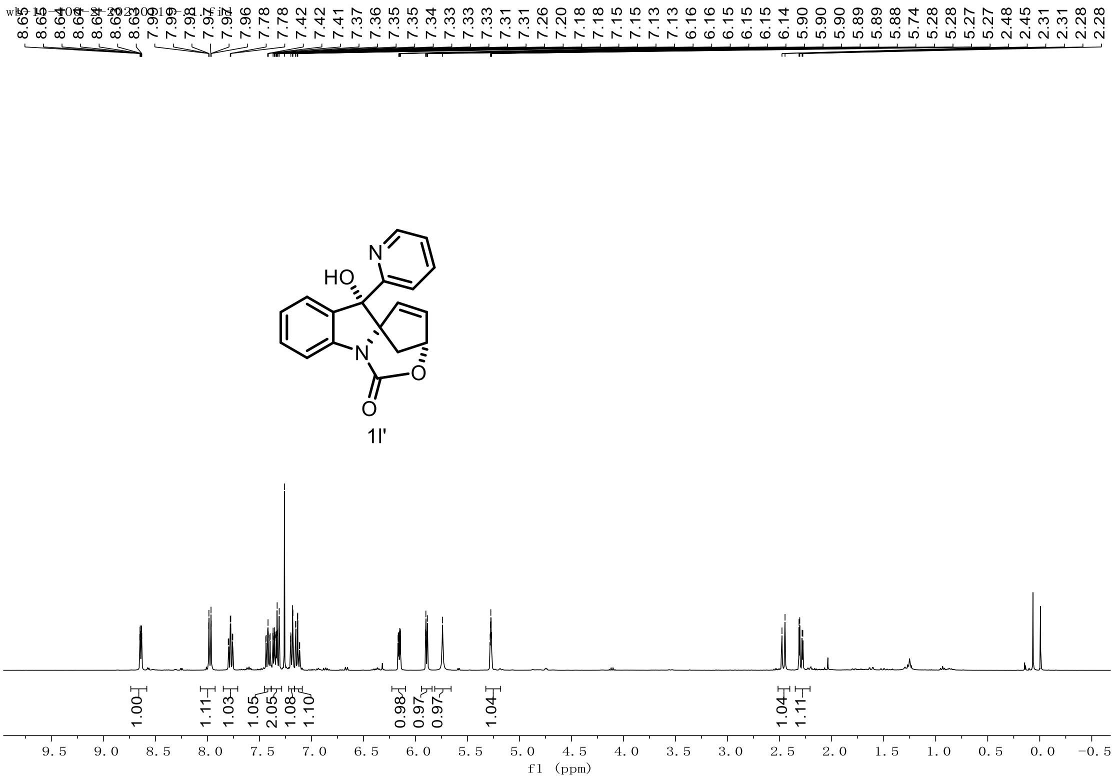
55.70
39.13
15.36
MeO
HO
Ph
N
H
OEt
1j
f1 (ppm)


HO
N
O
O
1k'
f1 (ppm)


HO
N
O
O
1k'
f1 (ppm)



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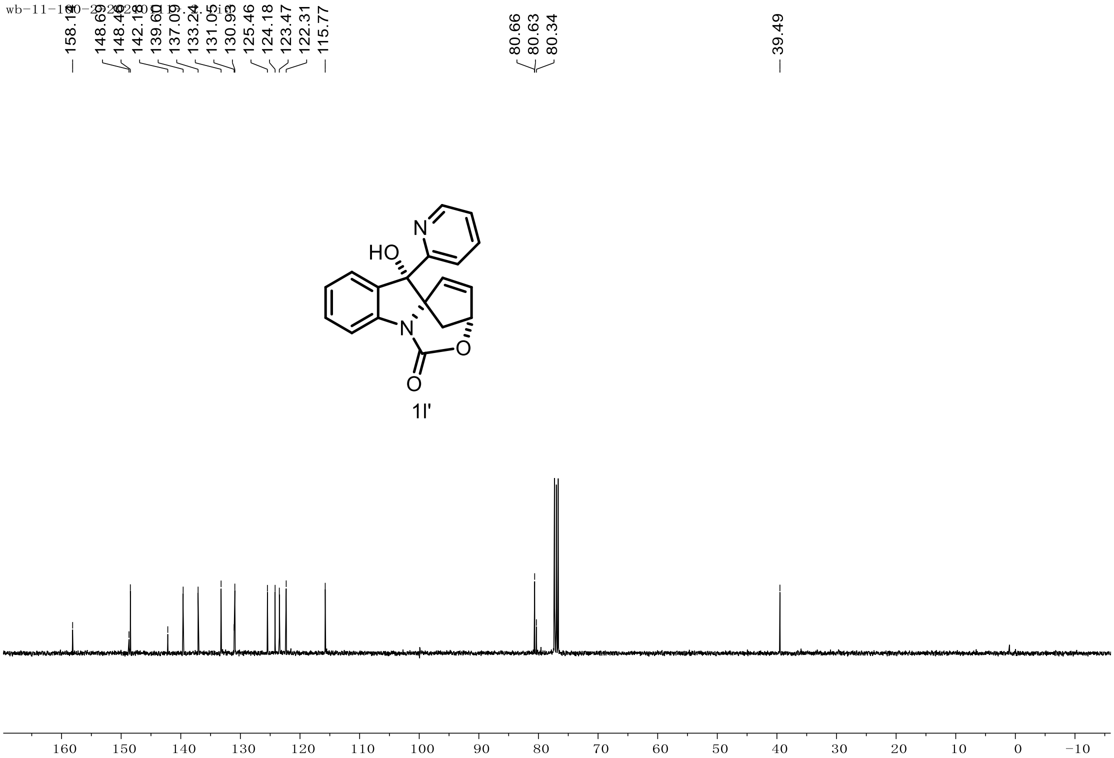
HO
N
O
1.00 1.11 1.03 1.05 2.05 1.08 1.10 0.98 0.97 0.97 1.04 1.04 1.11
f1 (ppm)

1l'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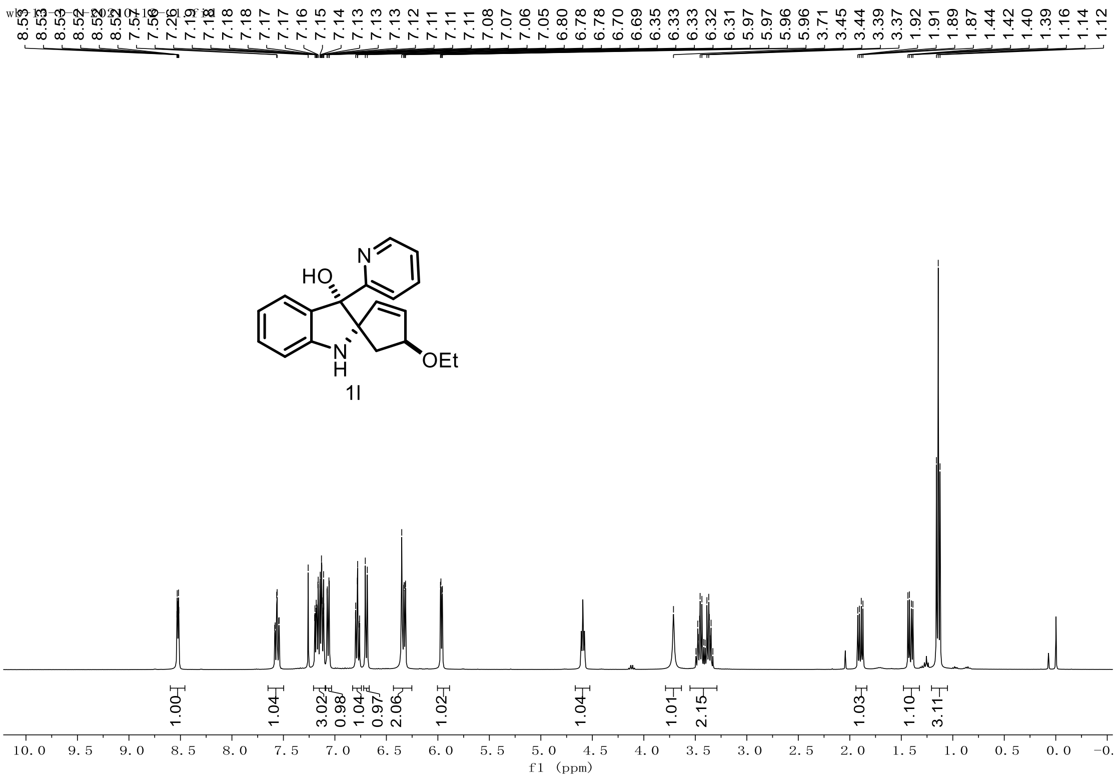
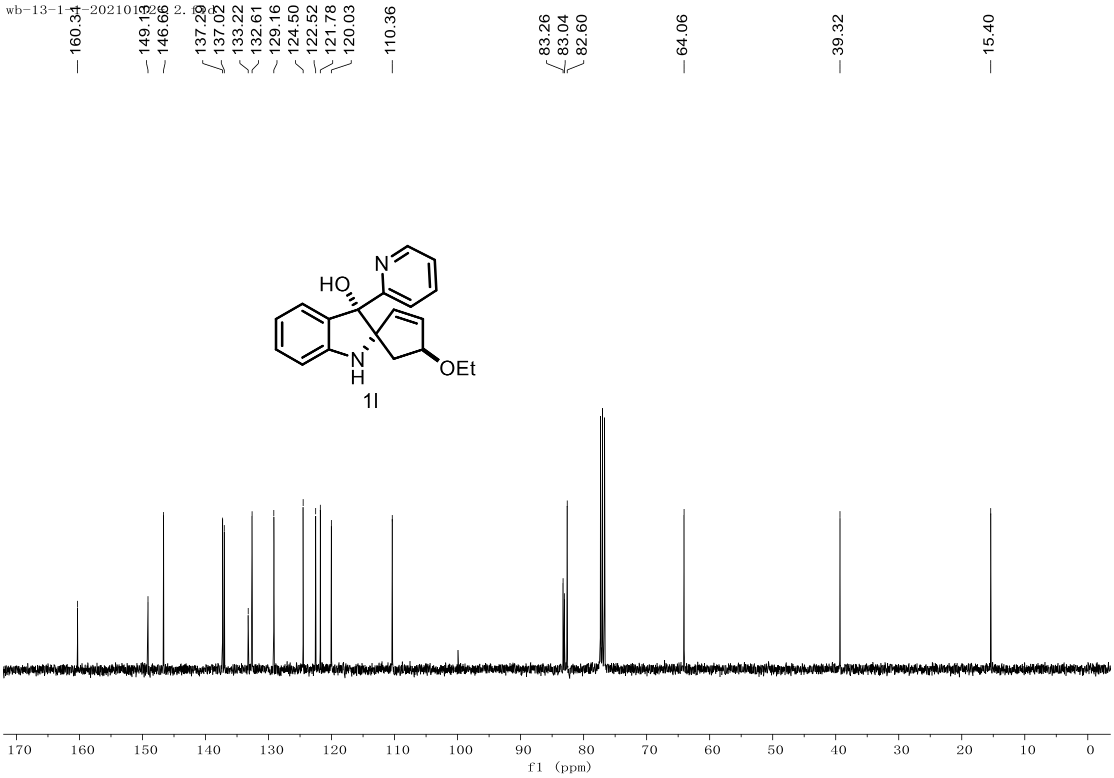
HO
N
O
f1 (ppm)

1l'


8.53 8.53 8.53 8.52 8.52 8.52 7.57 7.56 7.26 7.19 7.18 7.18 7.17 7.16 7.15 7.14 7.13 7.13 7.13 7.12 7.11 7.11 7.08 7.07 7.06 7.05 6.80 6.78 6.78 6.70 6.69 6.35 6.33 6.33 6.32 6.31 5.97 5.97 5.96 5.96 3.71 3.45 3.44 3.39 3.37 1.92 1.91 1.89 1.87 1.44 1.42 1.40 1.39 1.16 1.14 1.12
HO
N
N
H
OEt
1l
1.00 1.04 3.02 0.98 1.04 0.97 2.06 1.02 1.04 1.01 2.15 1.03 1.10 3.11
f1 (ppm)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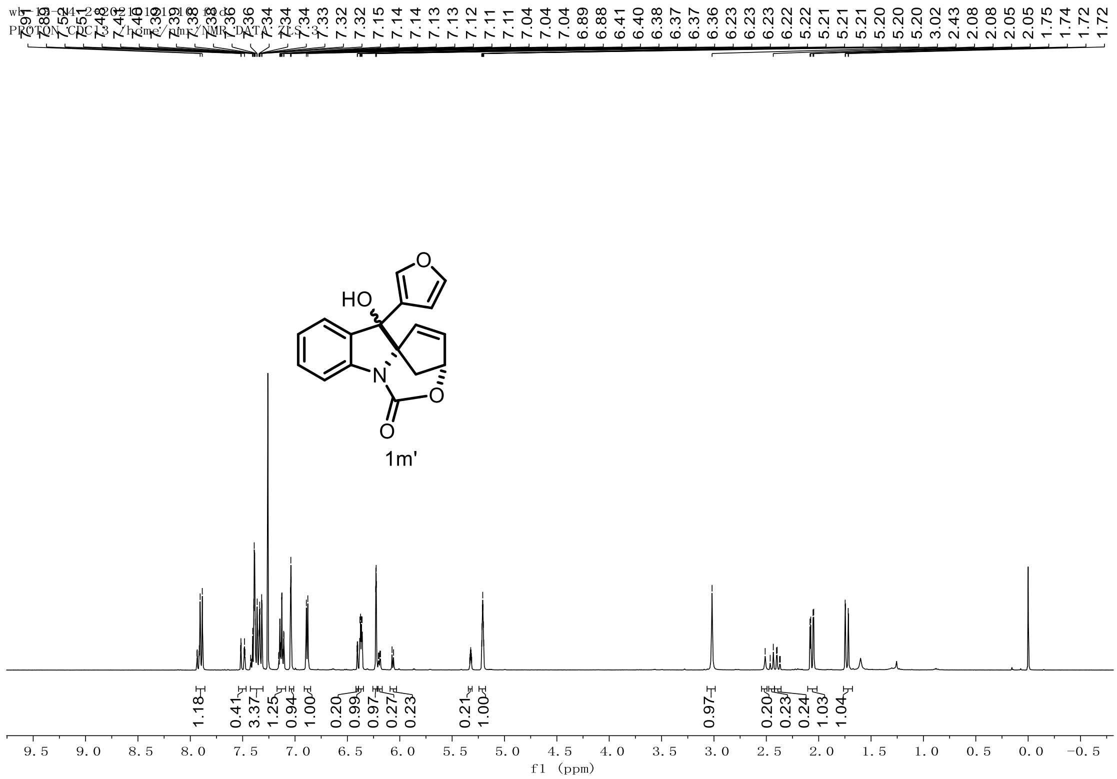
HO
N
N
H
OEt
1l
f1 (ppm)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7.33
7.32
7.15
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7.13
7.13
7.12
7.11
7.11
7.04
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7.04
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6.38
6.37
6.37
6.36
6.23
6.23
6.23
6.22
5.22
5.21
5.21
5.21
5.20
5.20
5.20
3.02
2.43
2.08
2.05
2.05
1.75
1.74
1.72
1.72
HO
O
N
O
O
1m'
1.18
0.41
3.37
1.25
0.94
1.00
0.20
0.99
0.97
0.27
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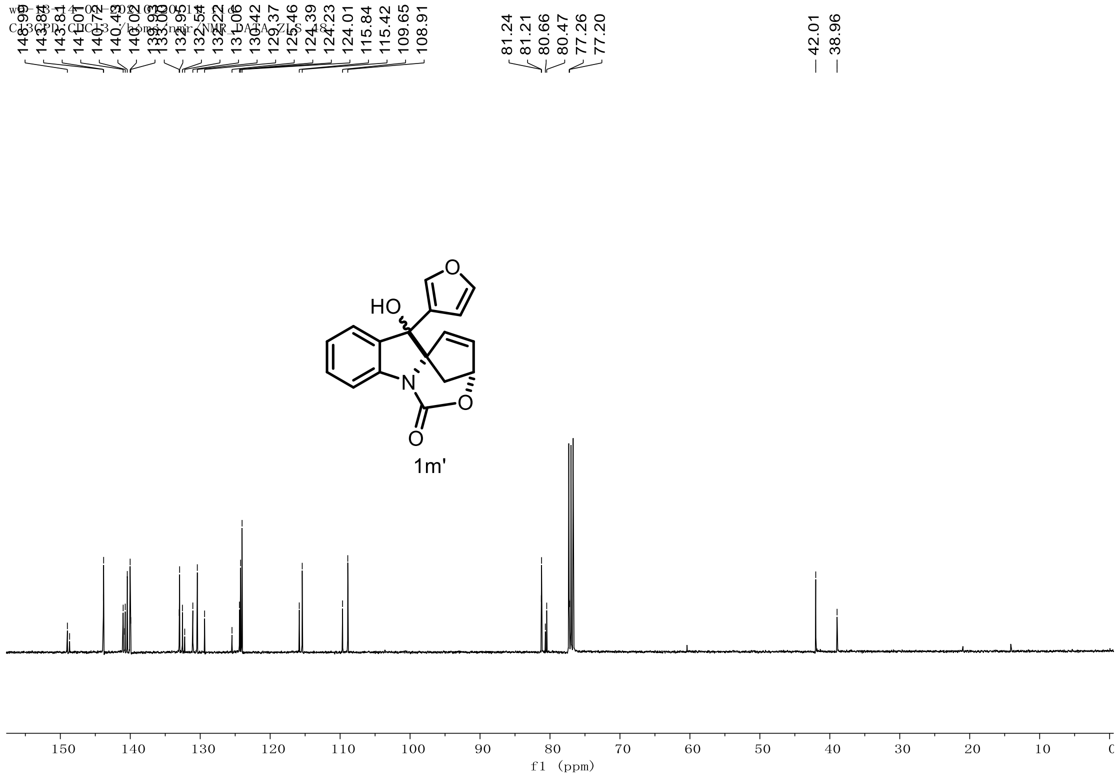
0.21
1.00
0.97
0.20
0.23
0.24
1.03
1.04
f1 (ppm)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129.37
125.46
124.39
124.23
124.01
115.84
115.42
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108.91
81.24
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42.01
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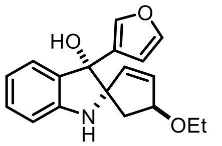
HO
O
N
O
O
1m'
f1 (ppm)



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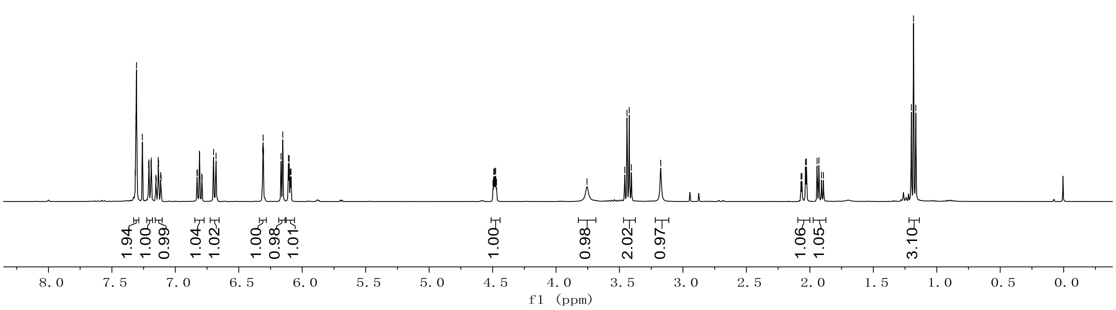

wb-13-18 PROTON CDCl3 /home/nmr/NMR DATA ZLS
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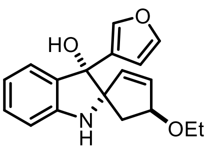
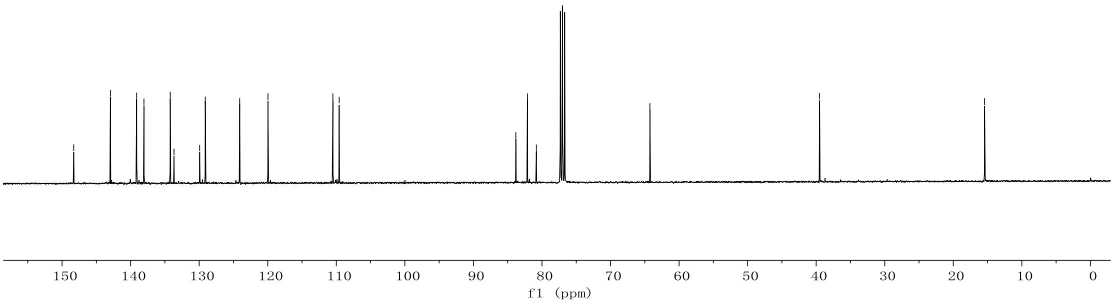
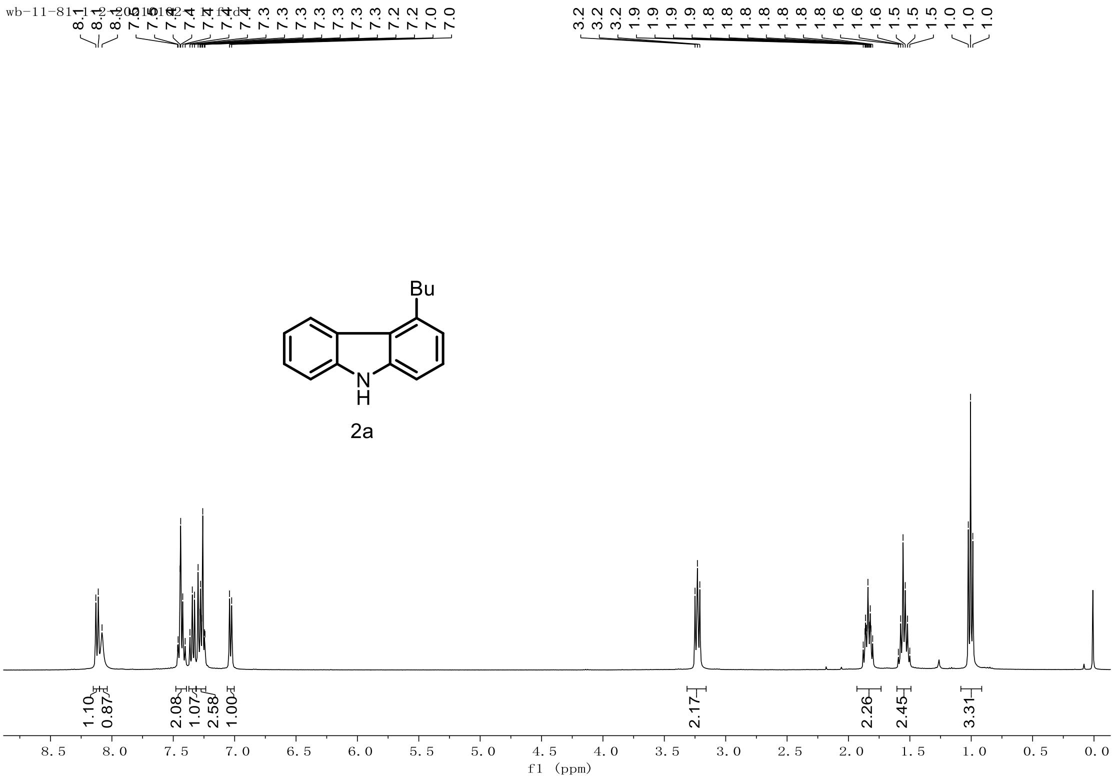
1.94 1.00 0.99 1.04 1.02 1.00 0.98 1.01 1.00 0.98 2.02 0.97 1.06 1.05 3.10
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

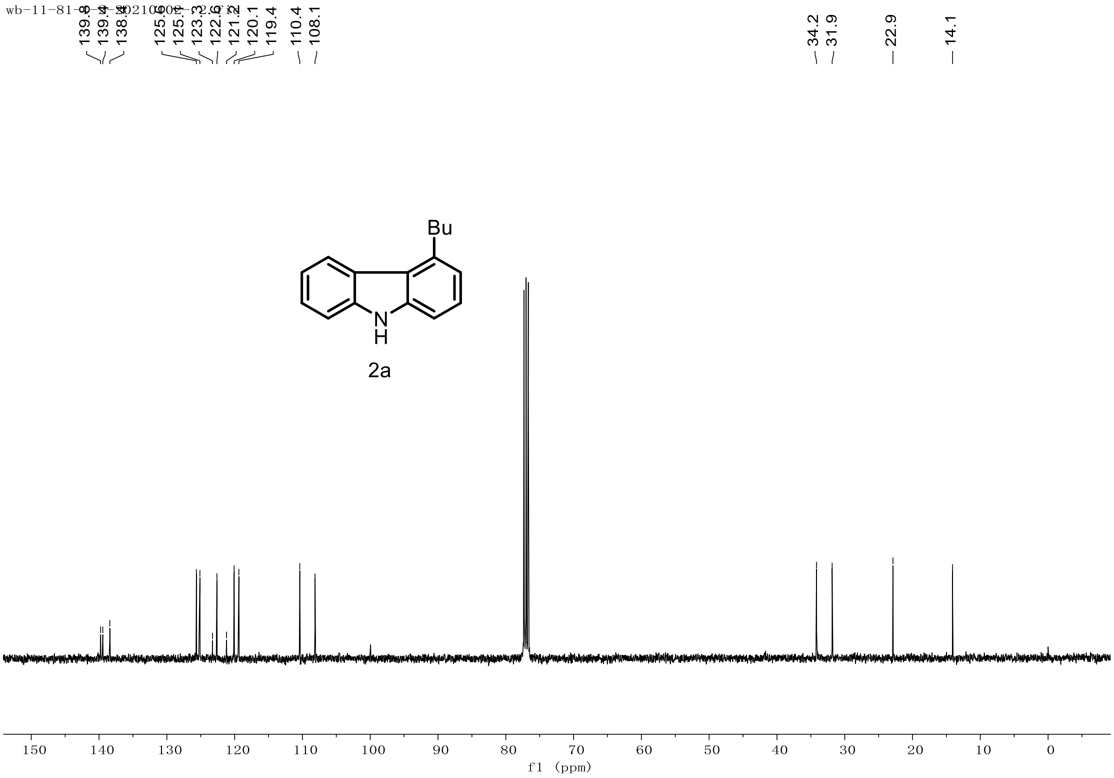


1m



wb-13-18 C13CPD CDCl3 /home/nmr/NMR DATA ZLS 34
148.30 142.95 139.12 138.06 134.22 133.68 129.93 129.11 124.09 119.97 110.50 109.58 83.82 82.12 80.82 64.26 39.50 15.43
150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


Bu
N
H
2a
f1 (ppm)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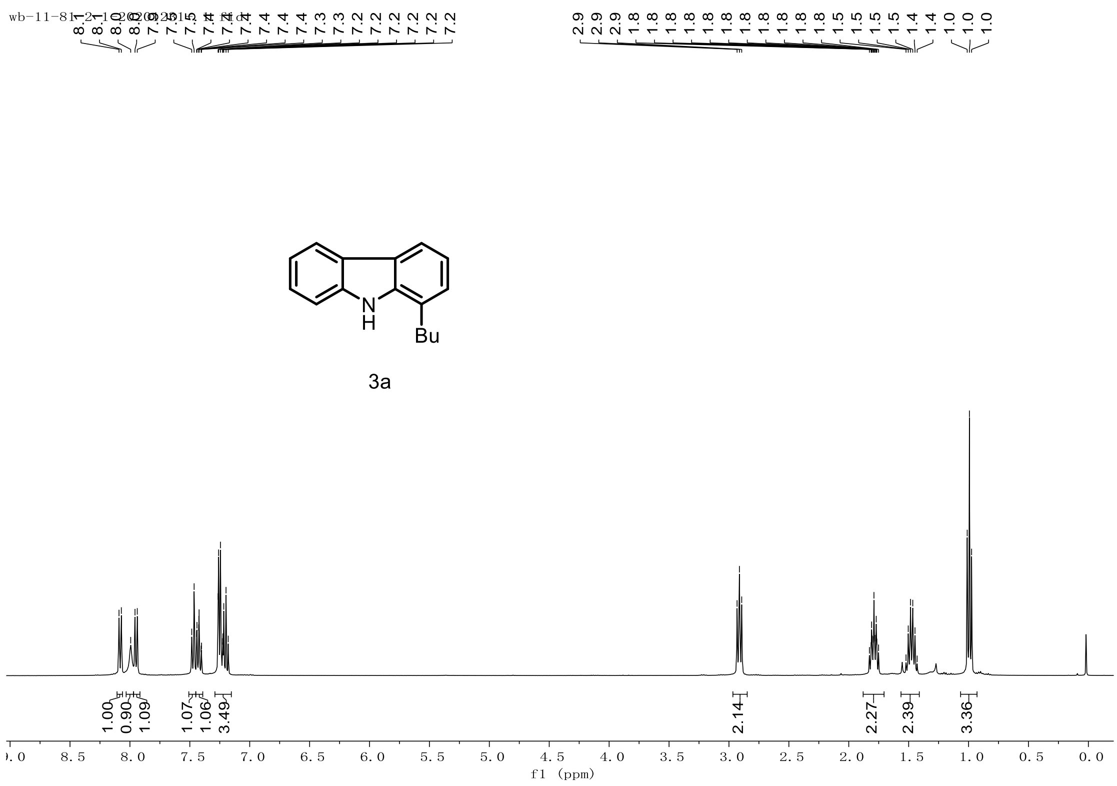
N
H
2a
f1 (ppm)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H
Bu
3a
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1.07
1.06
3.49
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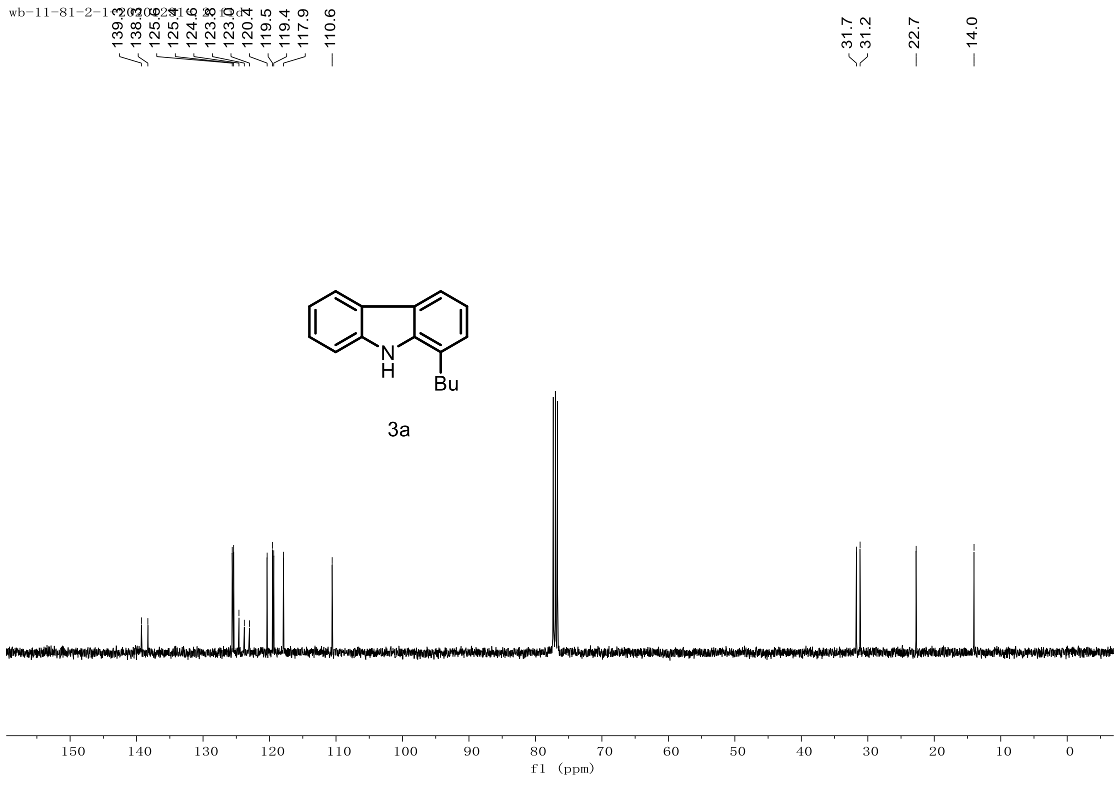
2.27
2.39
3.36
f1 (ppm)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124.6
123.8
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120.4
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119.4
117.9
110.6
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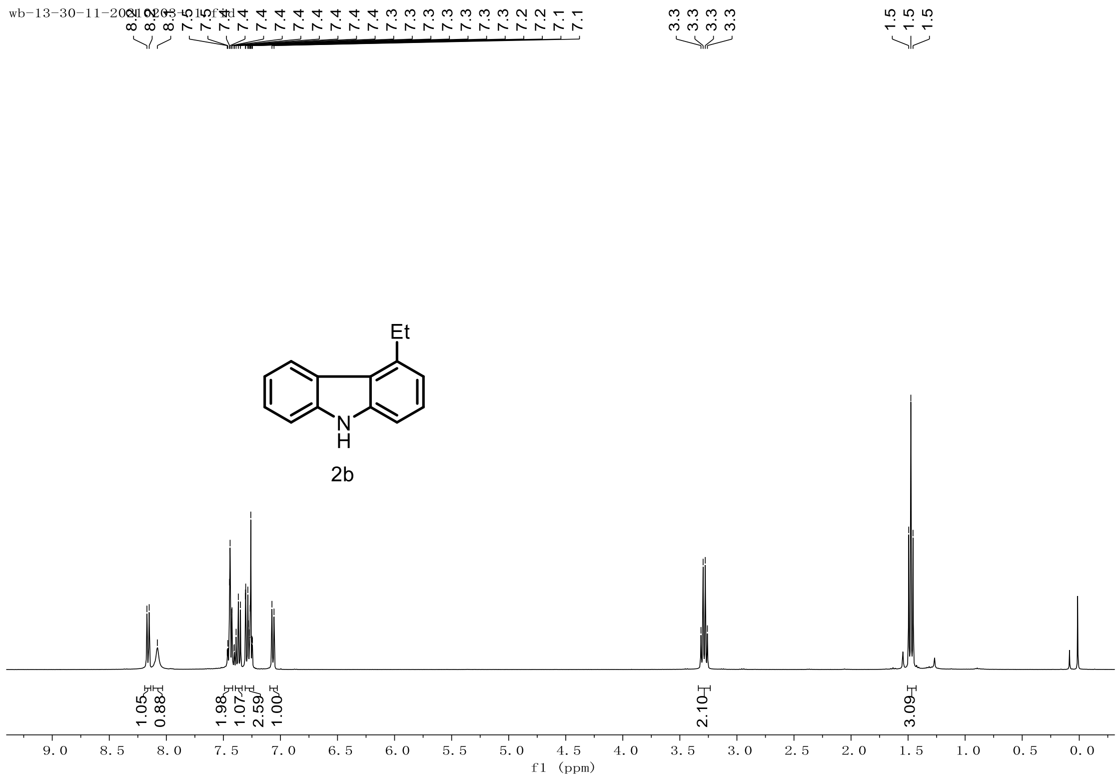
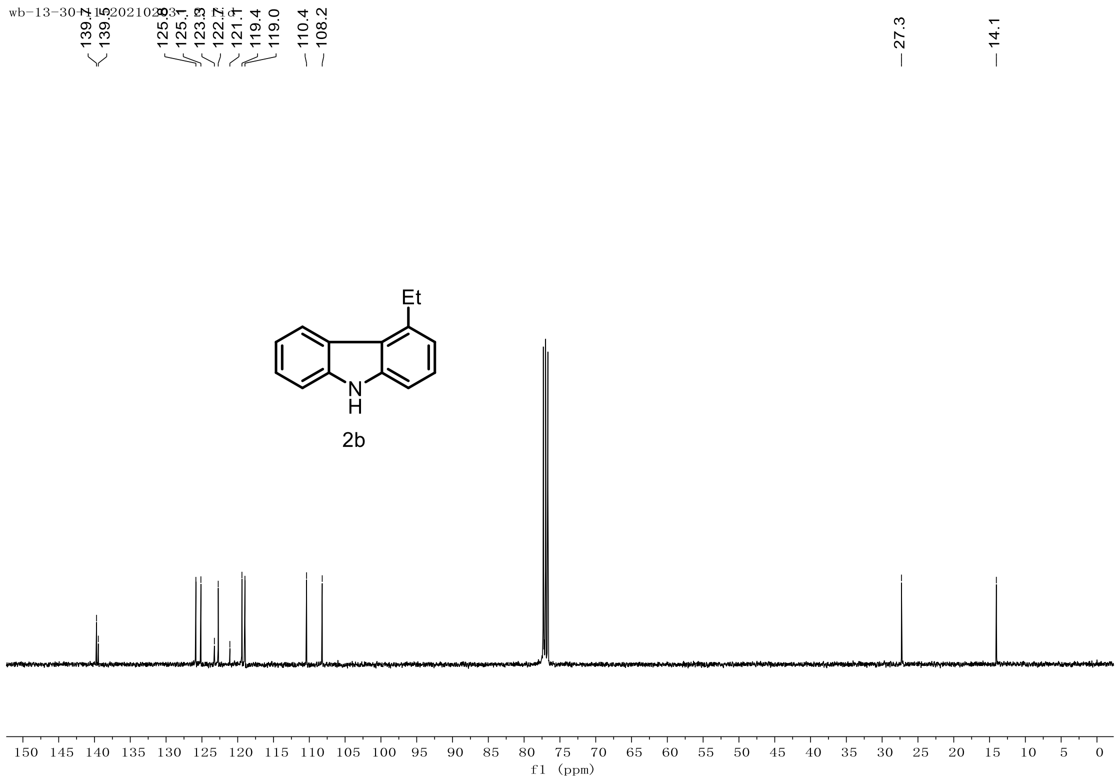
31.2
22.7
14.0
N
H
Bu
3a
f1 (ppm)

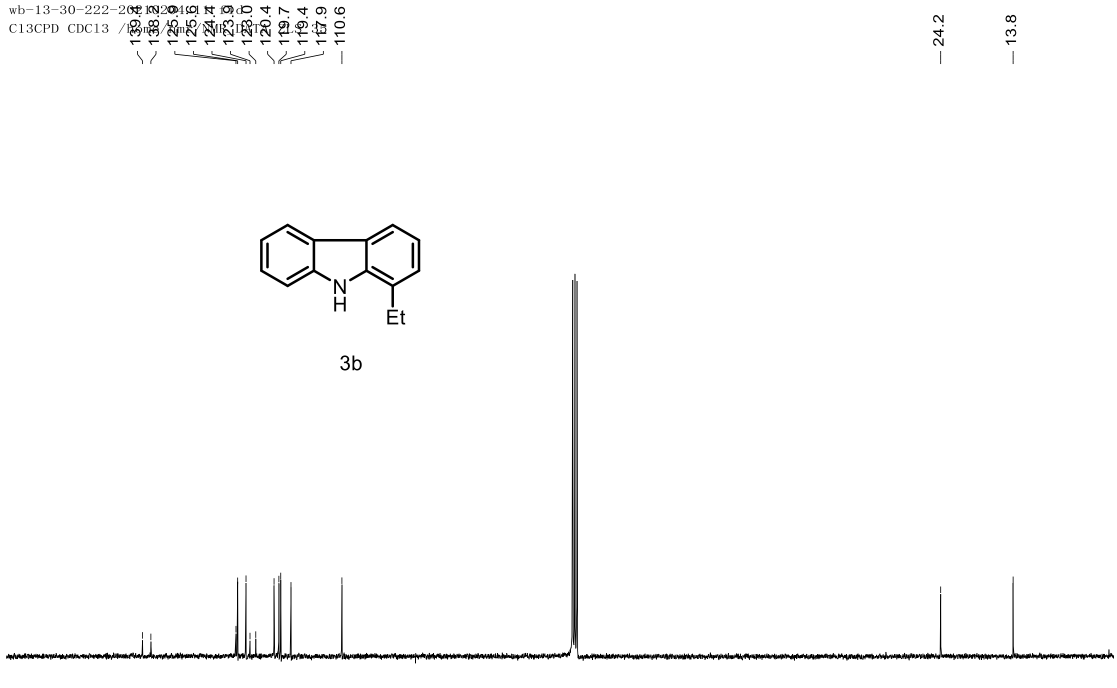


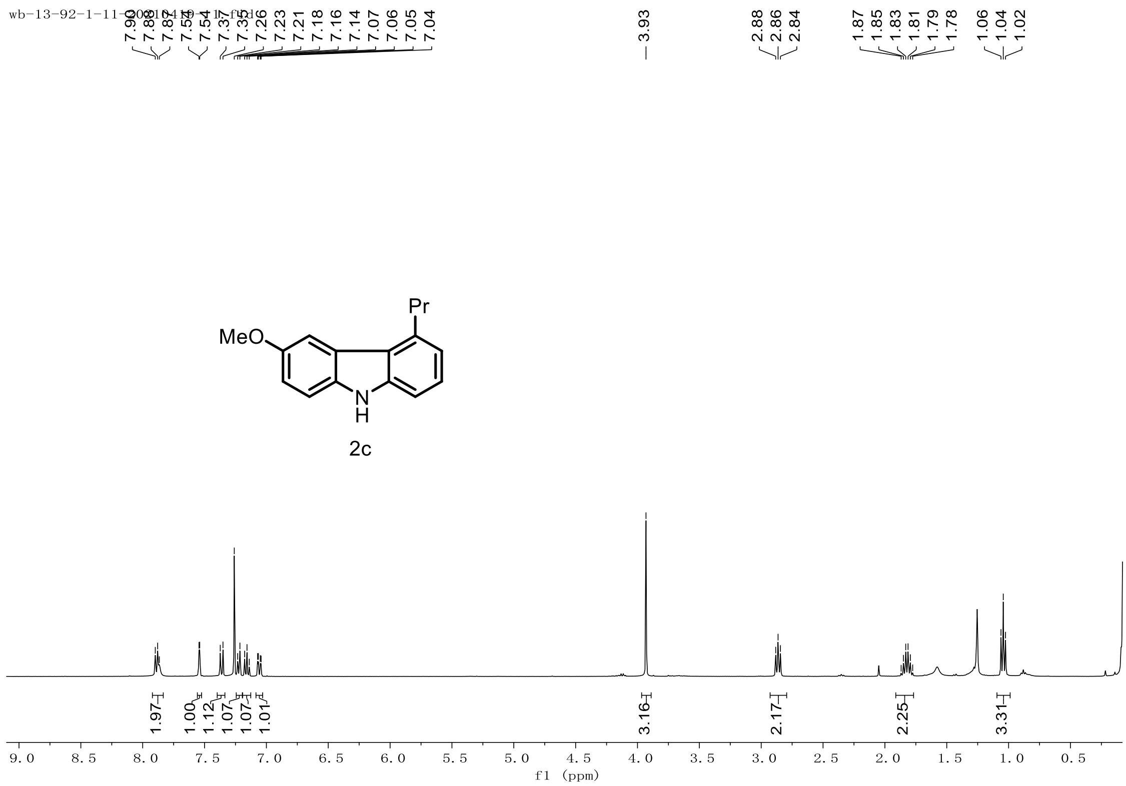
2b



2b


PROTON CDC13
3b
Et
H
1.00
0.79
1.04
1.01
1.04
3.70
2.10
3.22
f1 (ppm)


C13CPD CDC13
110.6
24.2
13.8
3b
Et
H
f1 (ppm)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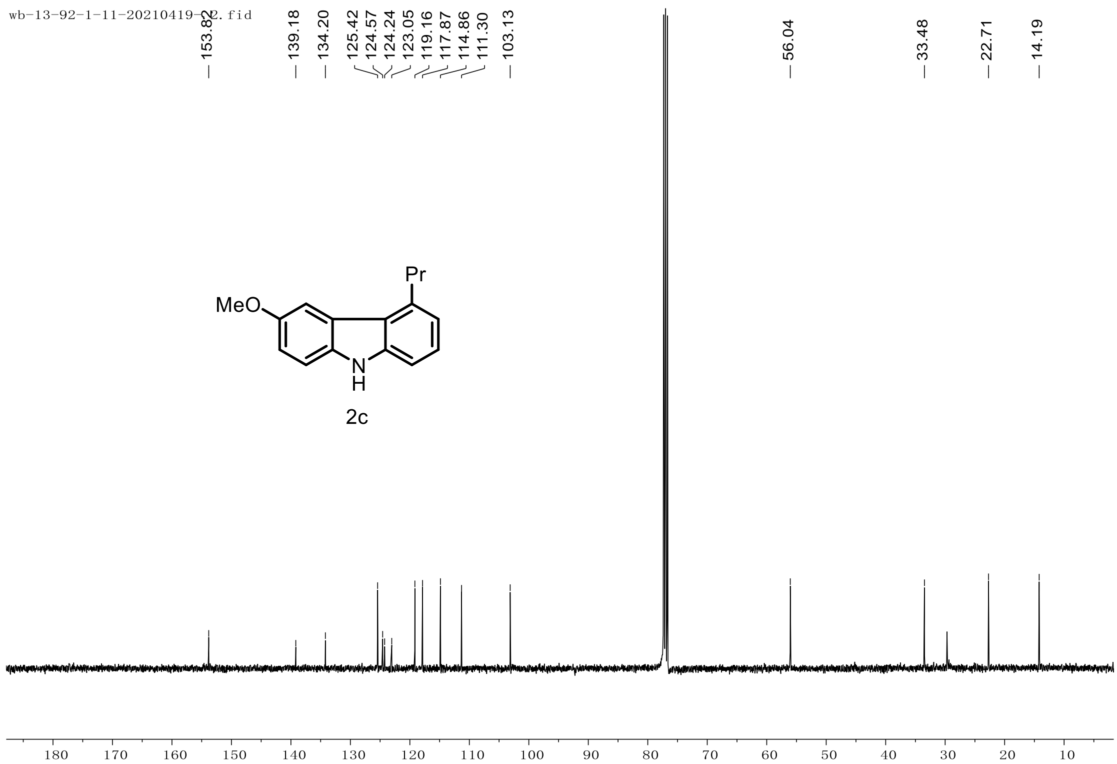
3.93
2.88 2.86 2.84
1.87 1.85 1.83 1.81 1.79 1.78
1.06 1.04 1.02
Pr
MeO
N
H
2c
1.97 1.00 1.12 1.07 1.07 1.01
3.16
2.17
2.25
3.31
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5
f1 (ppm)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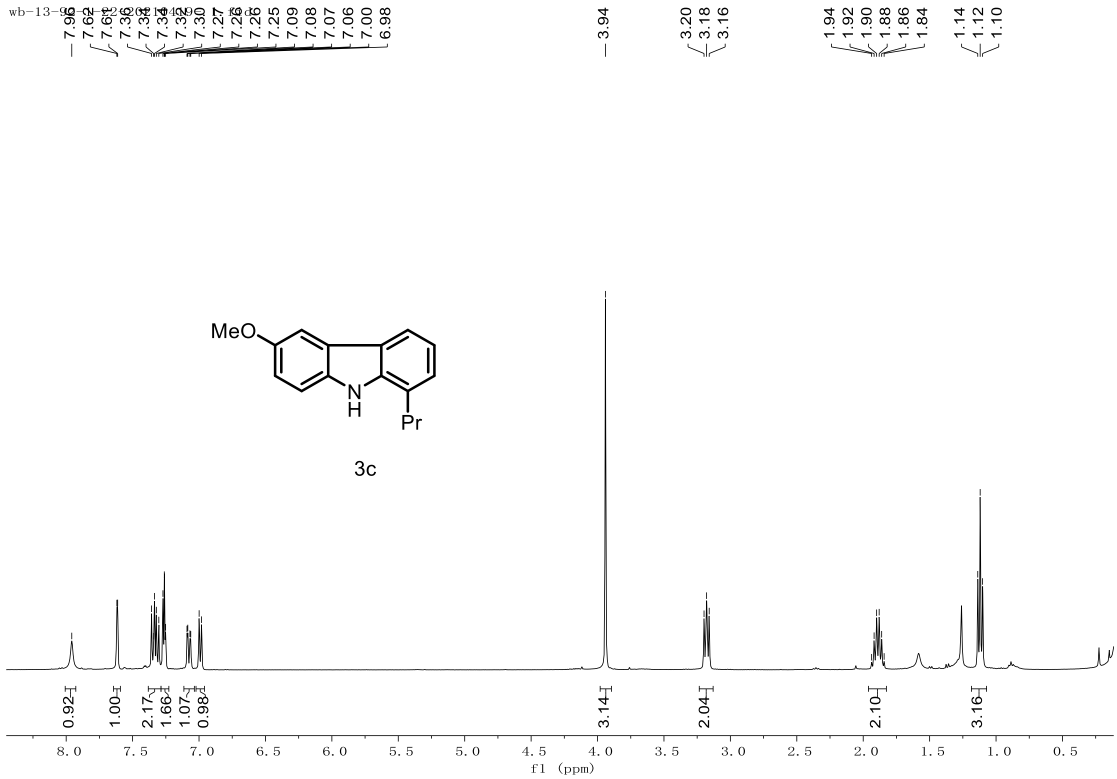
125.42 124.57 124.24 123.05 119.16 117.87 114.86 111.30
103.13
56.04
33.48
22.71
14.19
Pr
MeO
N
H
2c
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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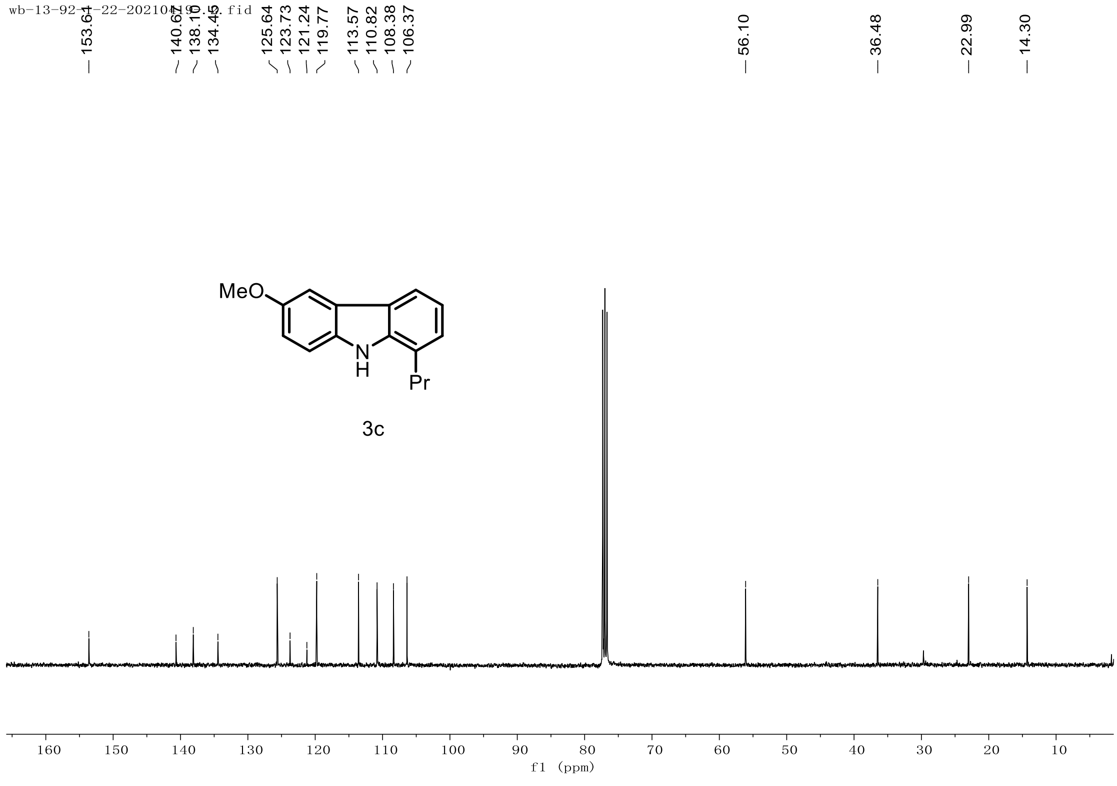
3.94
3.20 3.18 3.16
1.94 1.92 1.90 1.88 1.86 1.84
1.14 1.12 1.10
MeO
N
H
Pr
3c
0.92 1.00 2.17 1.66 1.07 0.98 3.14 2.04 2.10 3.16
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5
f1 (ppm)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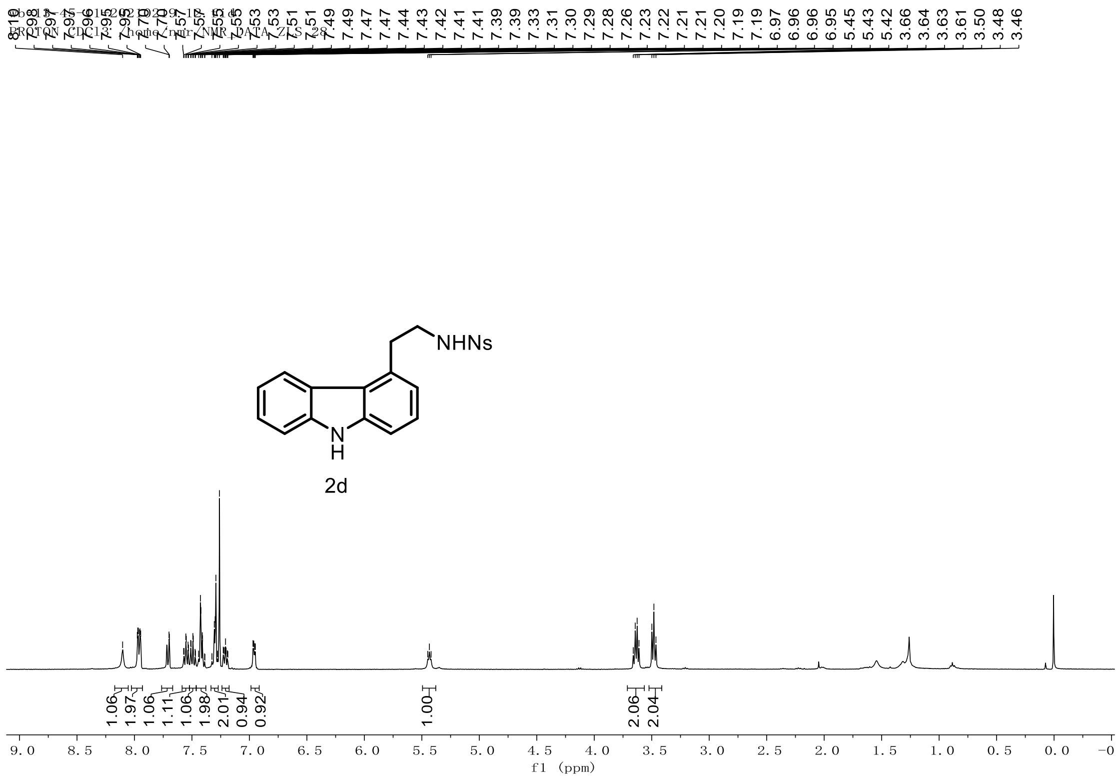
125.64 123.73 121.24 119.77
113.57 110.82 108.38 106.37
56.10
36.48
22.99
14.30
MeO
N
H
Pr
3c
160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)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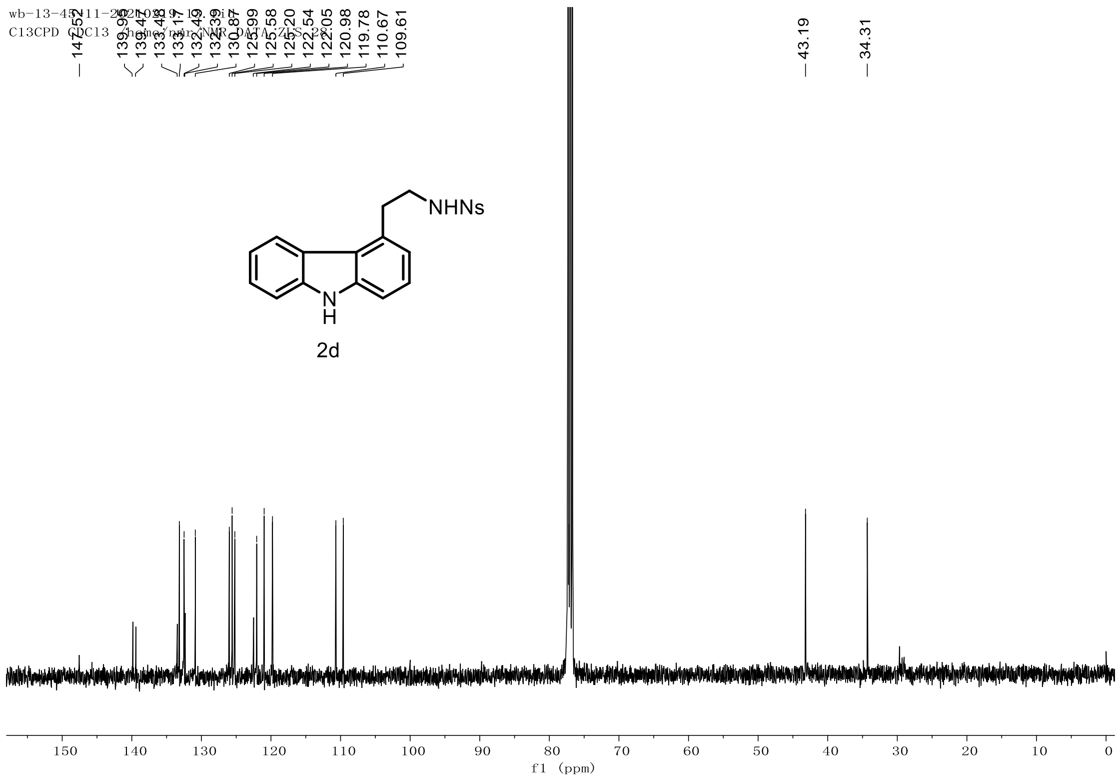
NHNs
N
H
2d
1.06 1.97 1.06 1.11 1.06 1.98 2.01 0.94 0.92 1.00 2.06 2.04
f1 (ppm)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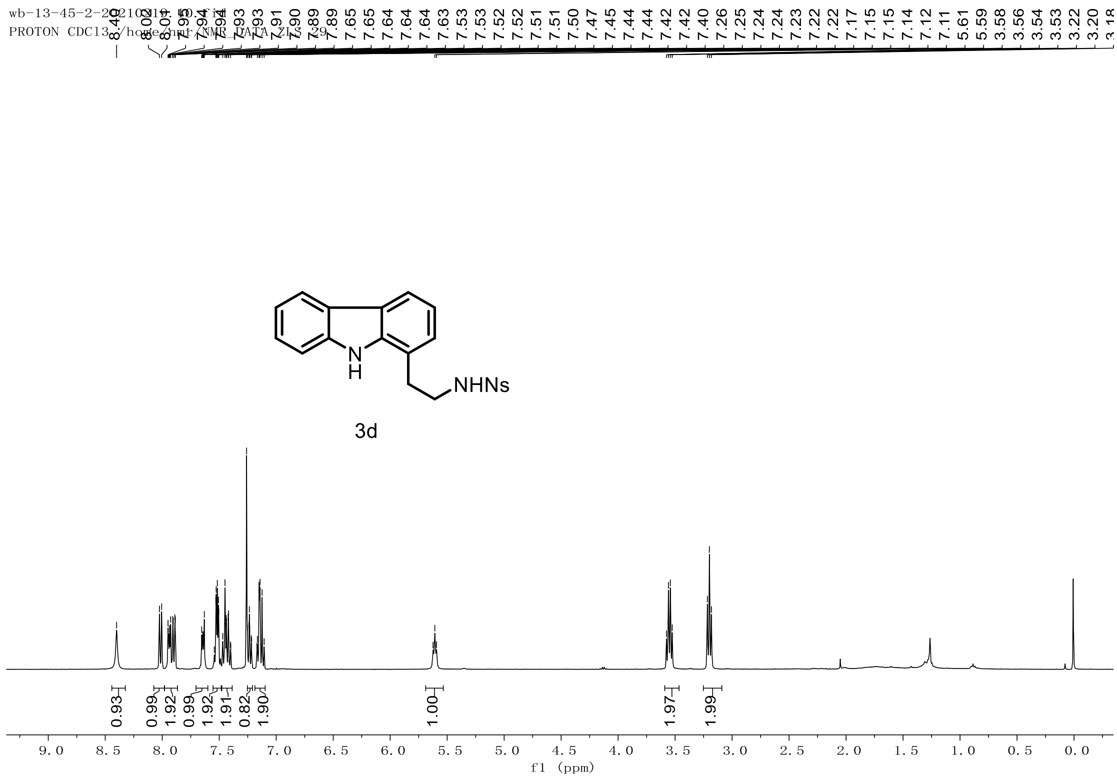
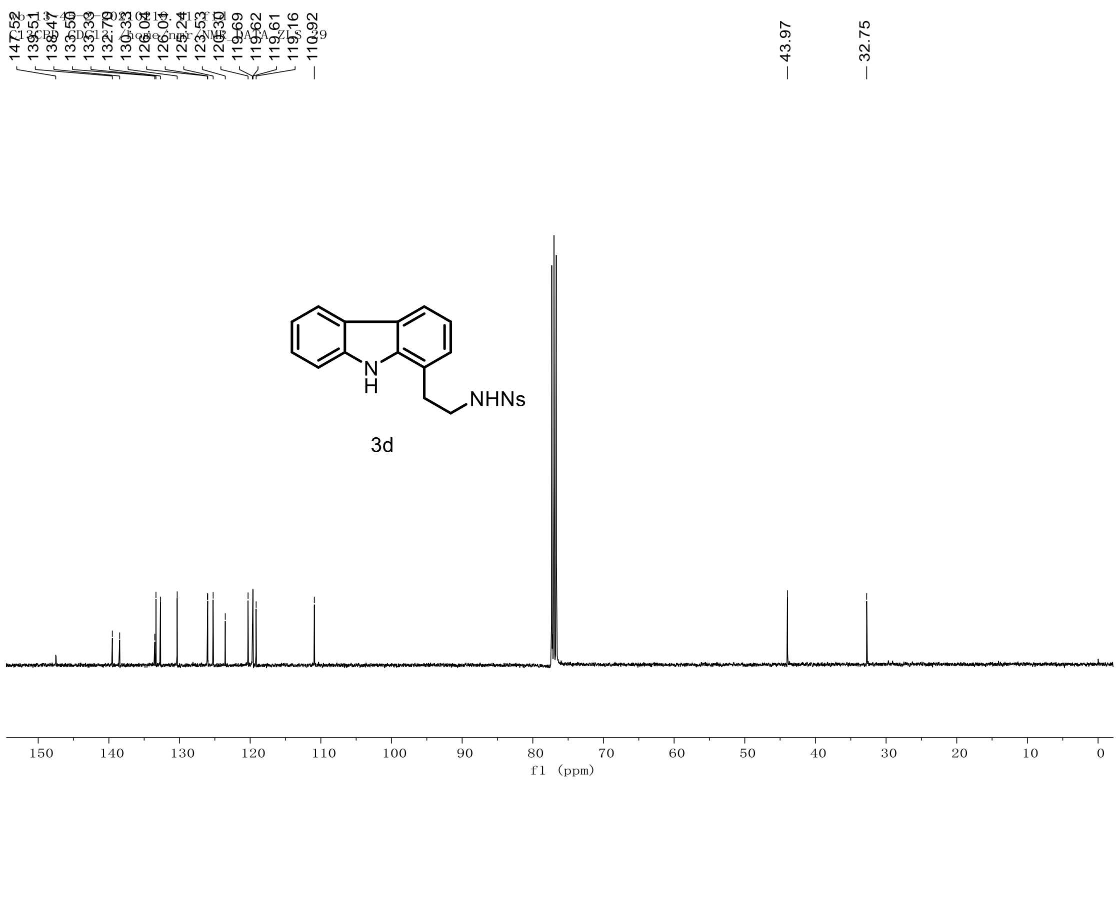
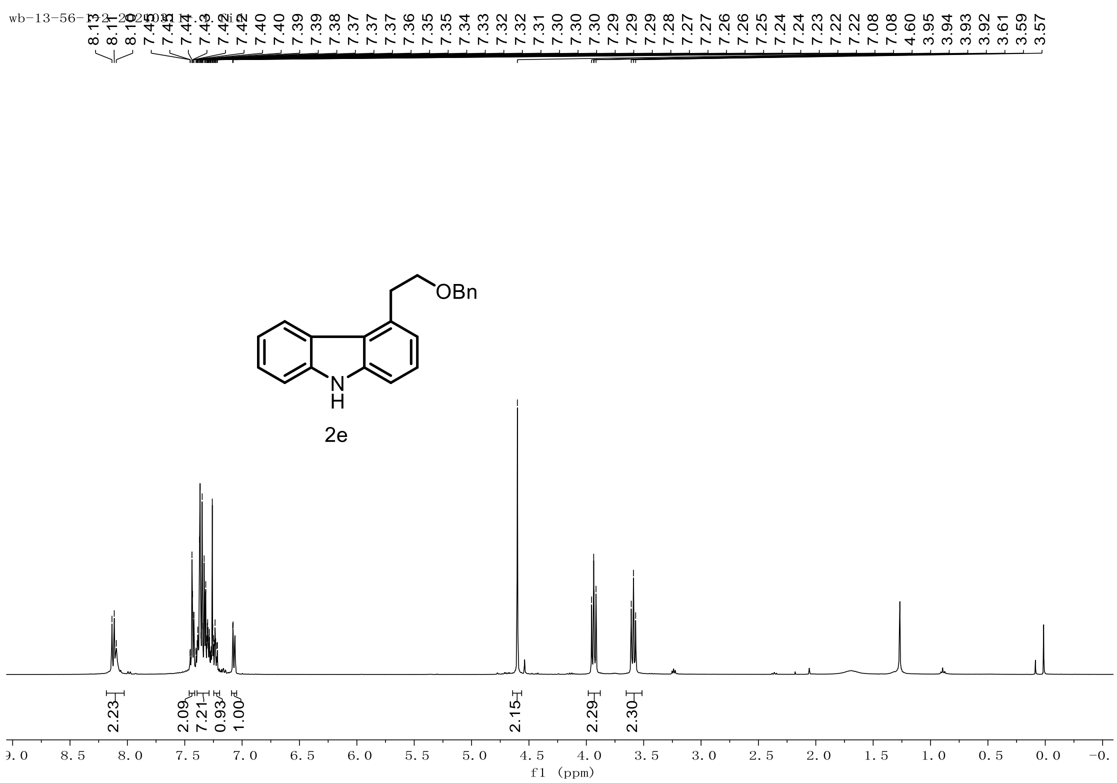
NHNs
N
H
2d
f1 (ppm)


H
NHNs
3d
f1 (ppm)


H
NHNs
3d
f1 (ppm)


8.13
8.11
7.40
7.40
7.39
7.39
7.38
7.37
7.37
7.36
7.35
7.35
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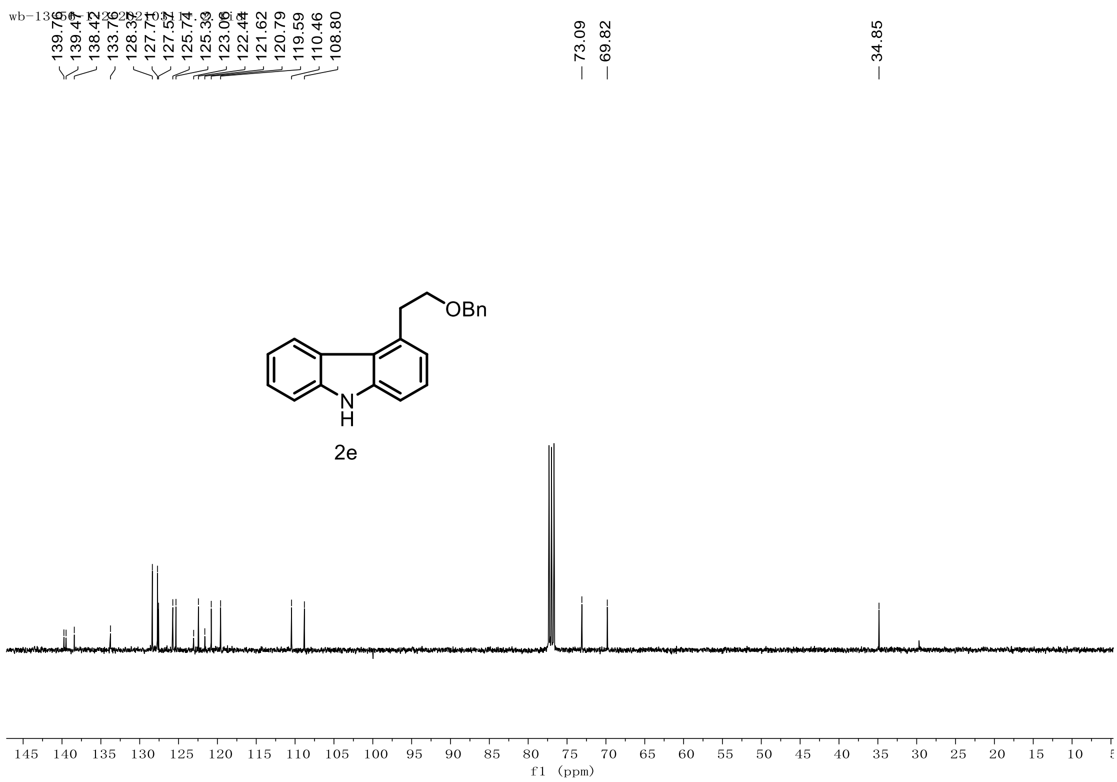
7.33
7.32
7.32
7.31
7.30
7.30
7.30
7.29
7.29
7.29
7.28
7.27
7.27
7.26
7.25
7.24
7.23
7.22
7.22
7.08
7.08
4.60
3.95
3.94
3.93
3.92
3.61
3.59
3.57
OBn
N
H
2e
2.23
2.09
7.21
0.93
1.00
2.15
2.29
2.30
f1 (ppm)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123.06
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120.79
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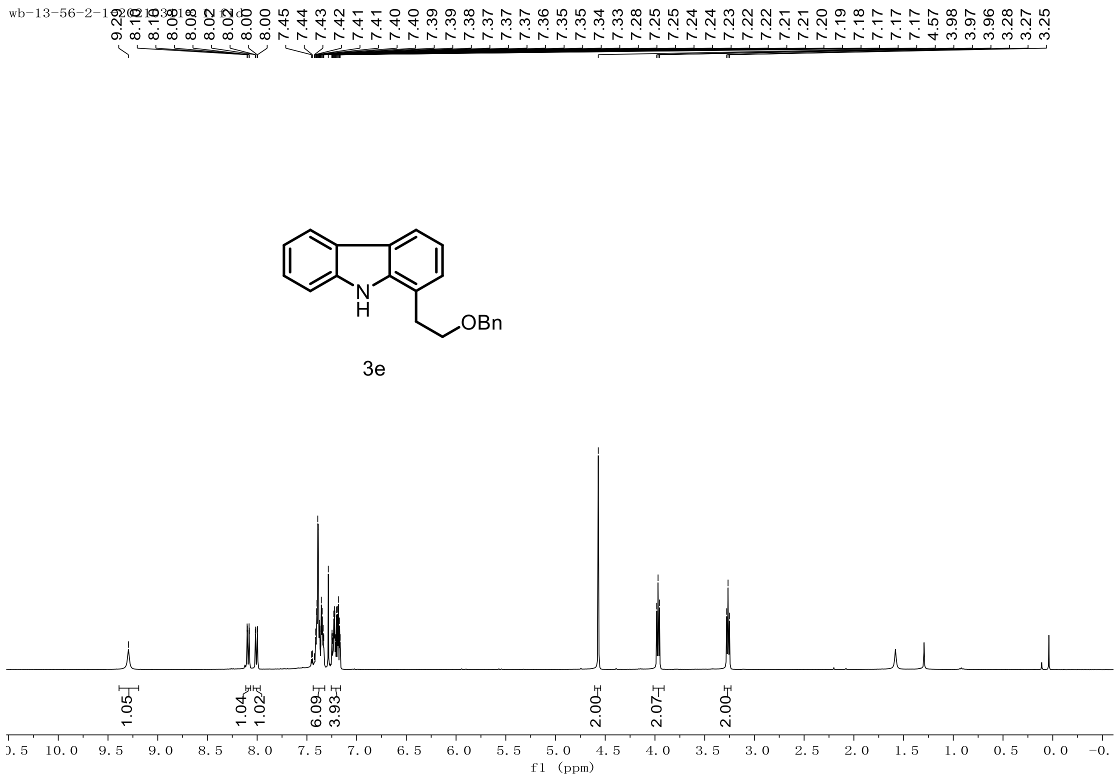
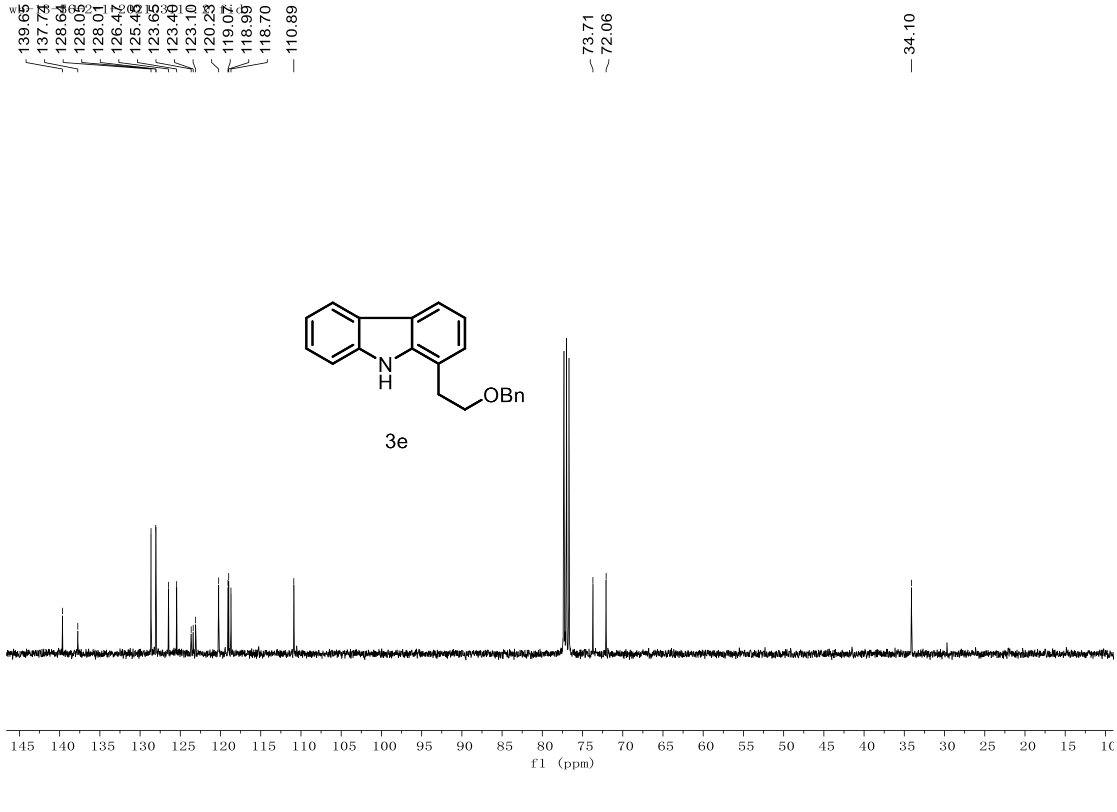
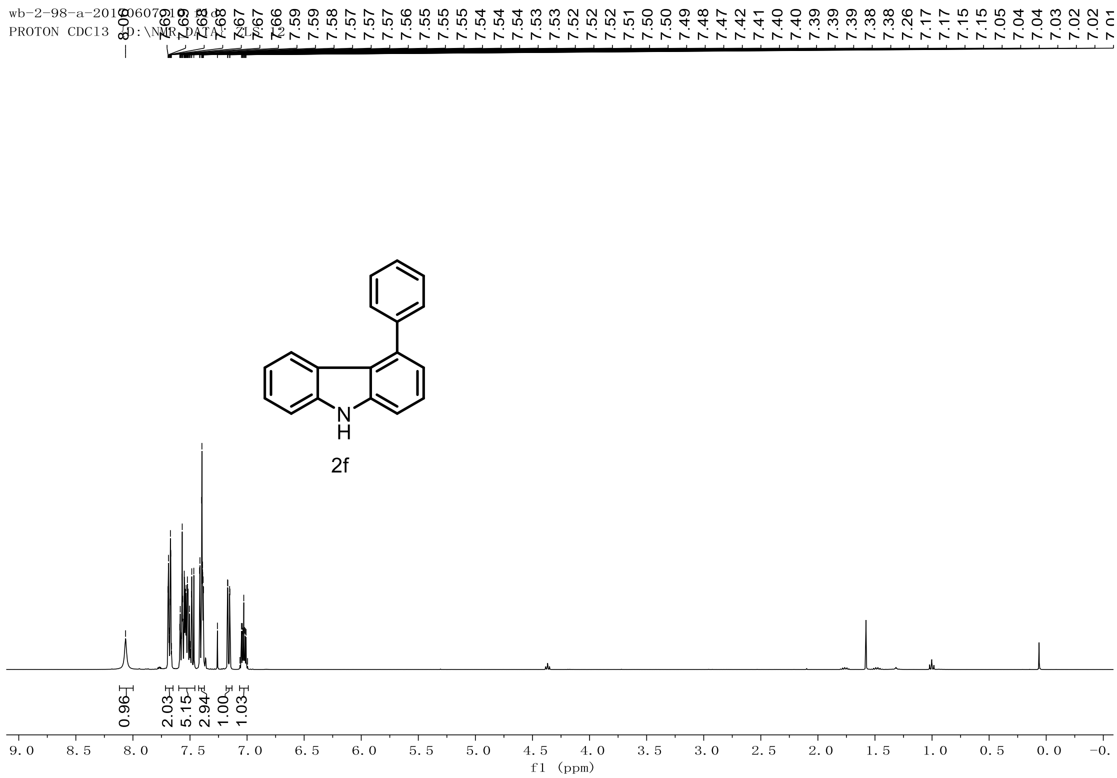
110.46
108.80
73.09
69.82
34.85
OBn
N
H
2e
f1 (ppm)

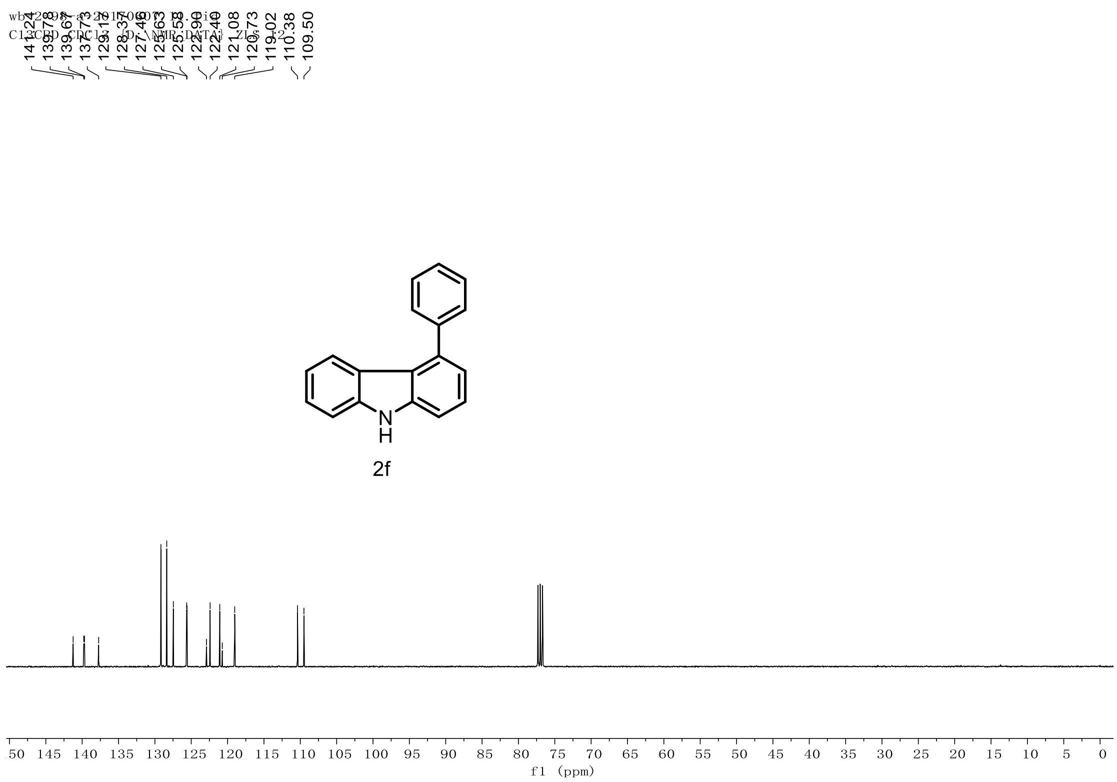

wb-13-56-2-1
9.29 8.10 8.10 8.08 8.08 8.02 8.02 8.00 8.00 7.45 7.44 7.43 7.42 7.41 7.40 7.39 7.39 7.38 7.37 7.37 7.36 7.35 7.35 7.34 7.33 7.28 7.25 7.25 7.24 7.24 7.23 7.22 7.22 7.21 7.21 7.20 7.19 7.18 7.17 7.17 7.17 4.57 3.98 3.97 3.96 3.28 3.27 3.25
OBn
N
H
1.05 1.04 1.02 6.09 3.93 2.00 2.07 2.00
f1 (ppm)

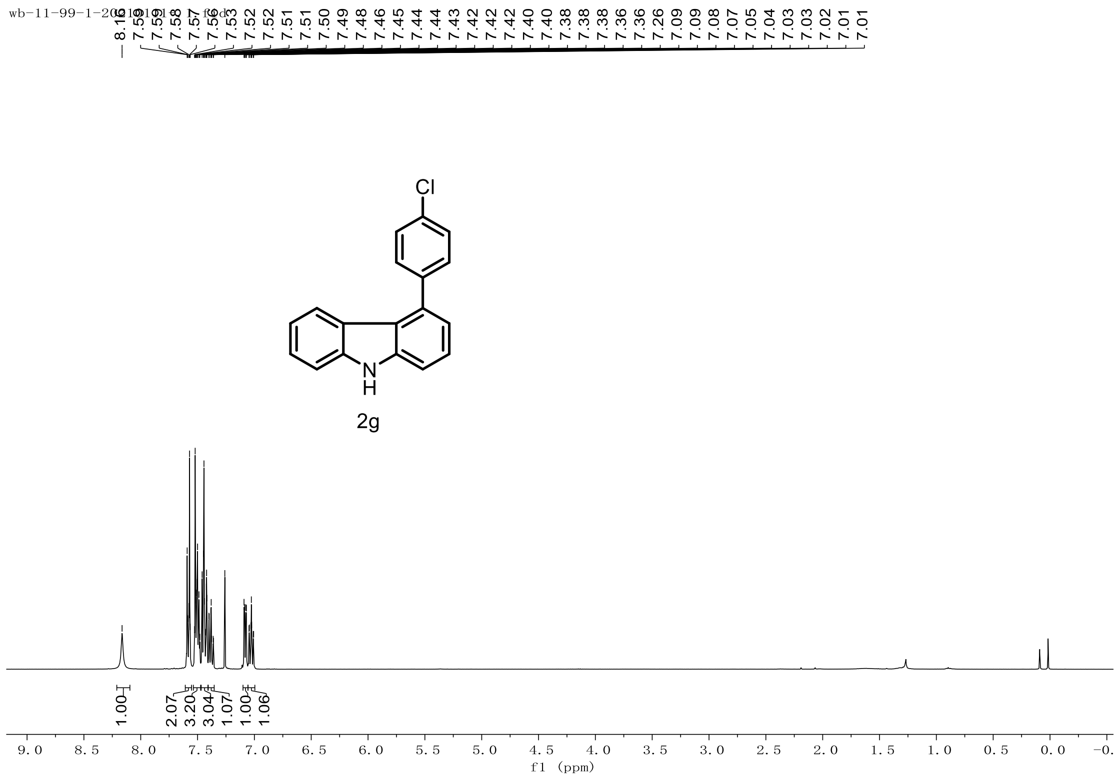
3e

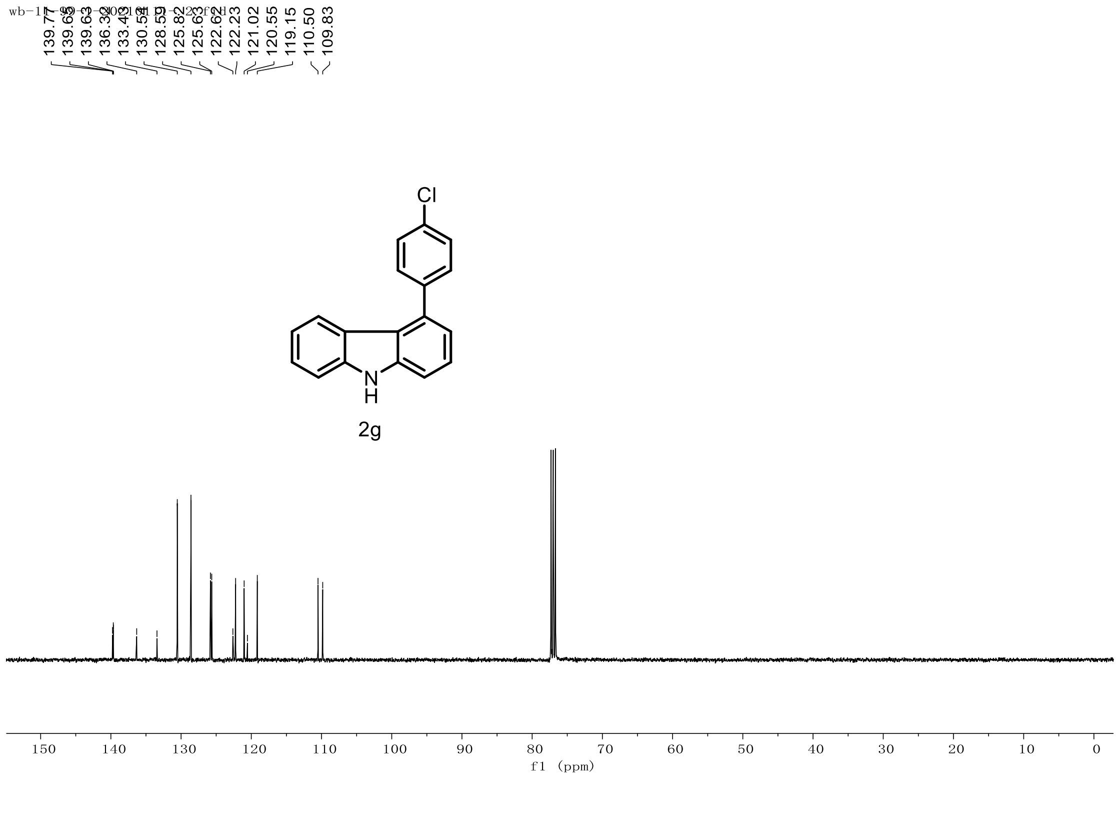

139.65 137.74 128.64 128.05 128.01 126.47 125.43 123.65 123.40 123.10 120.23 119.07 118.99 118.70 110.89 73.71 72.06 34.10
OBn
N
H
f1 (ppm)

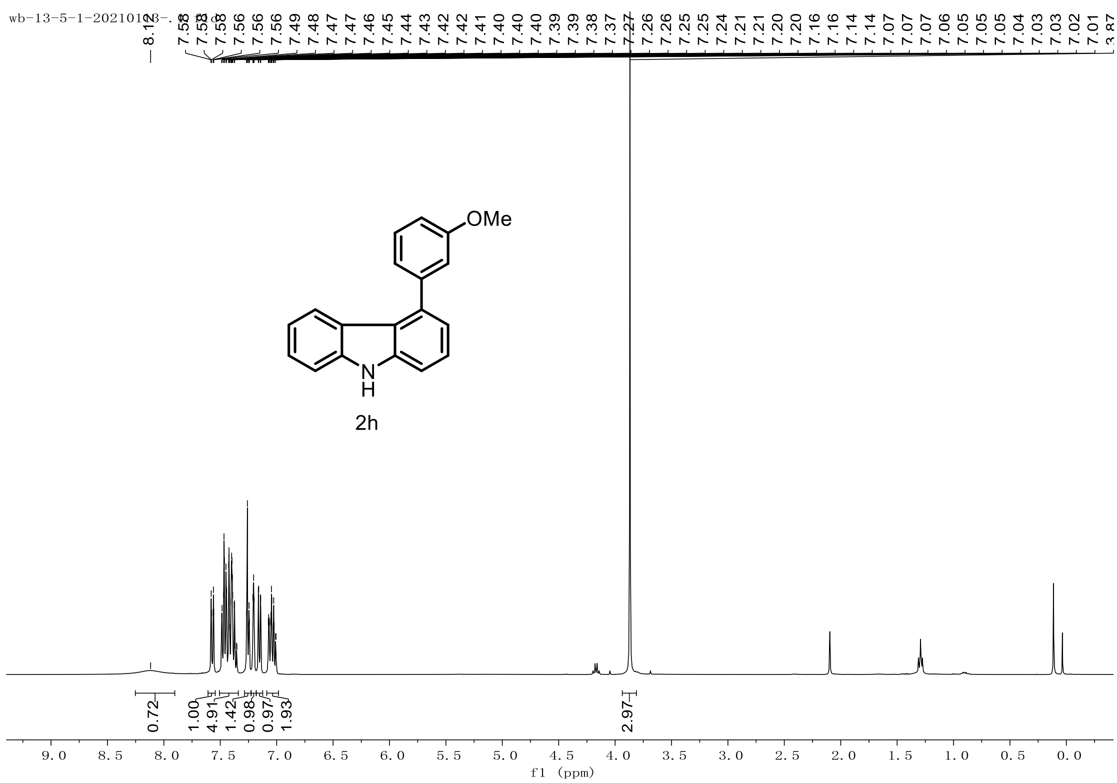
3e

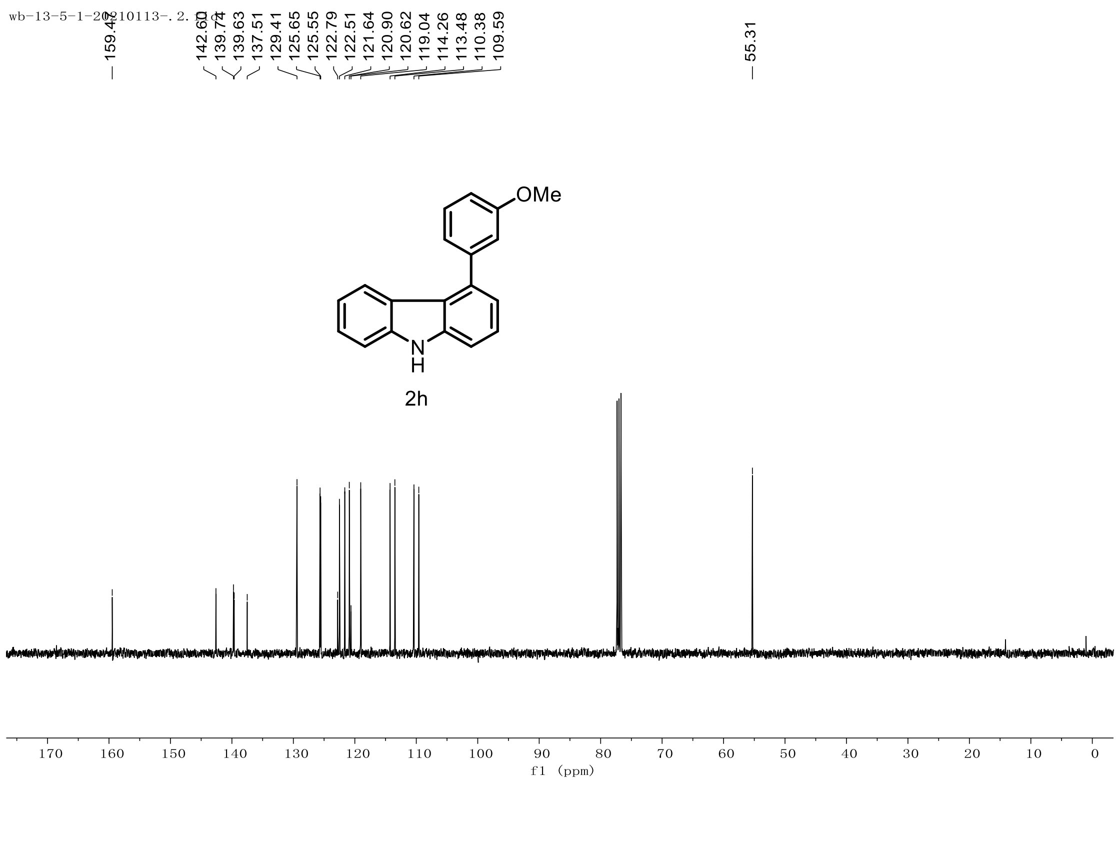


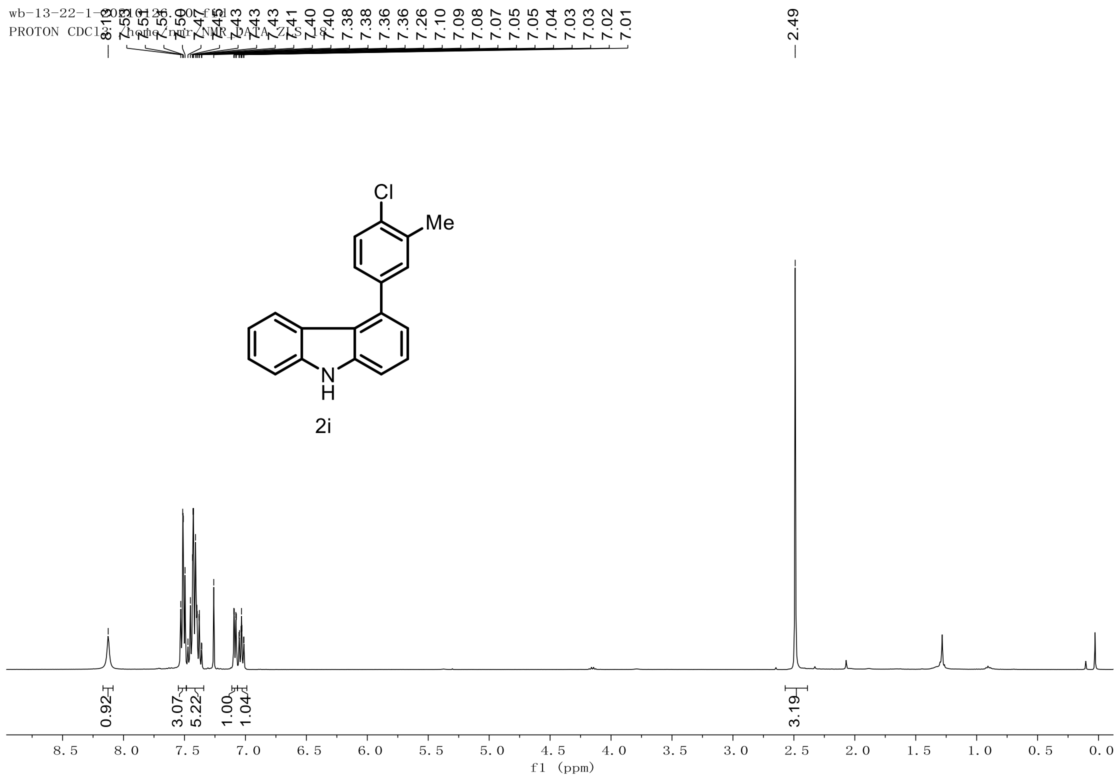








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7.50
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7.43
7.41
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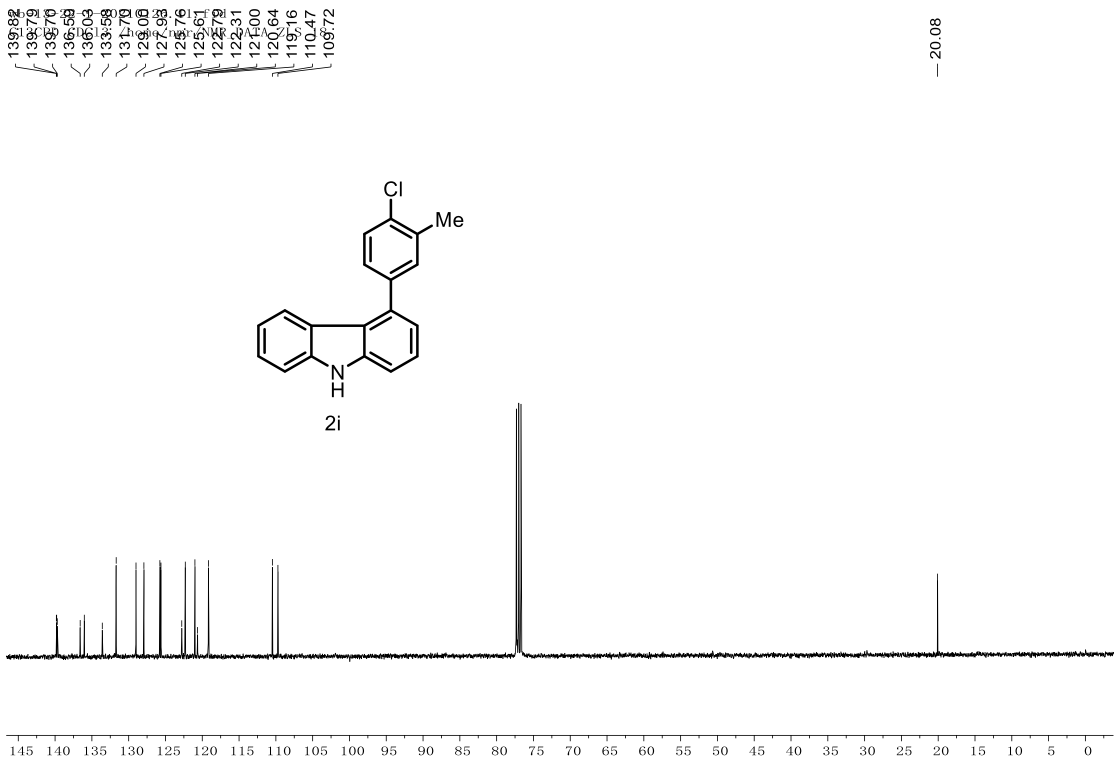
7.40
7.38
7.38
7.36
7.36
7.26
7.10
7.09
7.08
7.07
7.05
7.05
7.04
7.03
7.03
7.02
7.01
2.49
Cl
Me
N
H
0.92
3.07
5.22
1.00
1.04
3.19
f1 (ppm)

2i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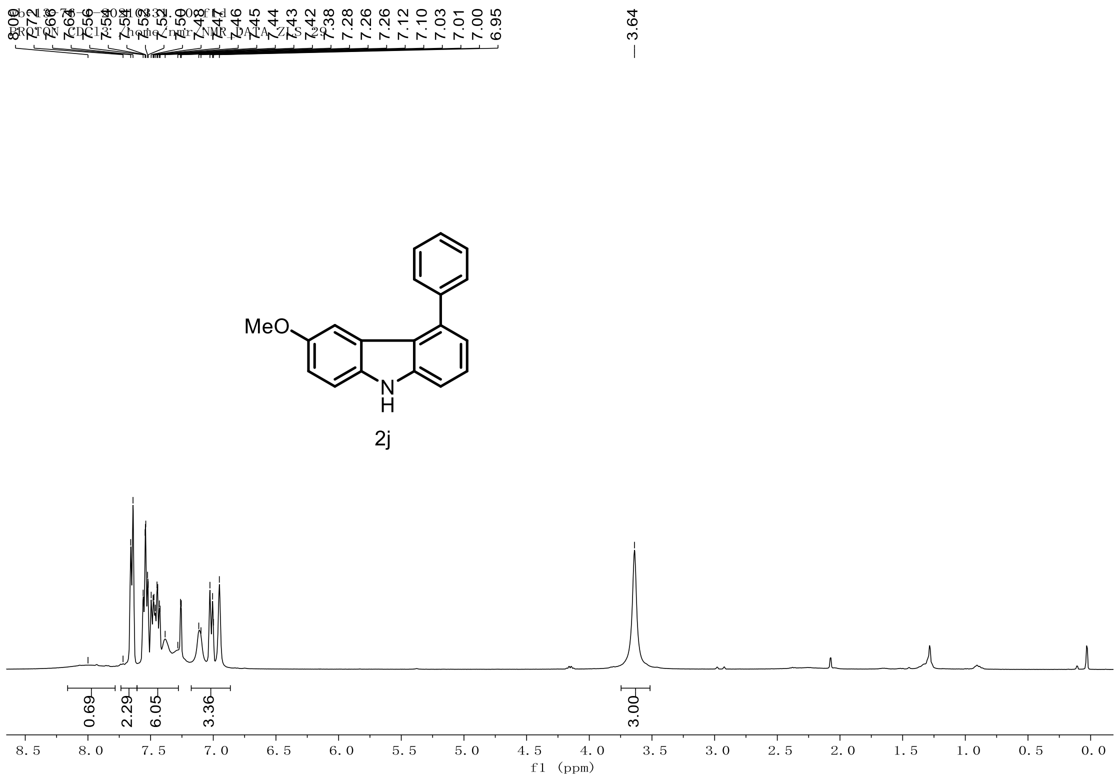
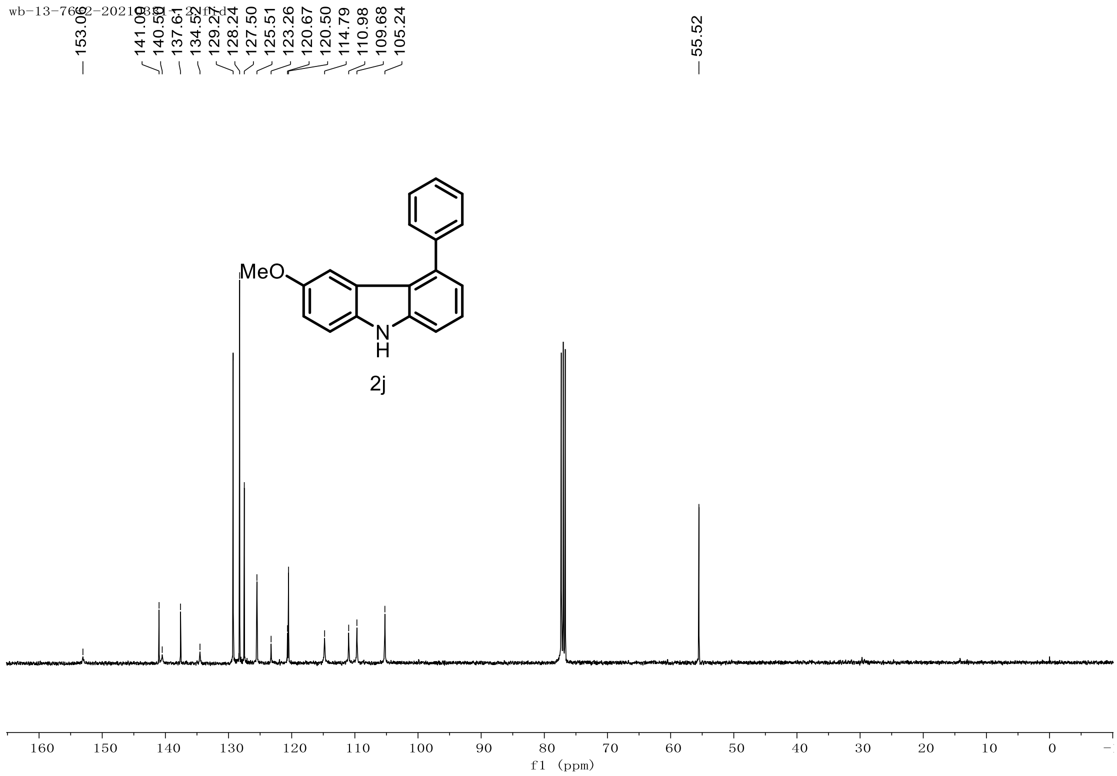
139.79
139.70
136.59
136.03
133.58
131.70
129.00
127.93
125.76
125.61
122.79
122.31
121.00
120.64
119.16
110.47
109.72
20.08
Cl
Me
N
H
f1 (ppm)

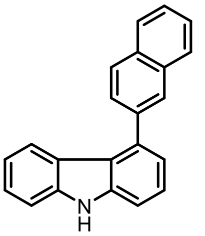
2i



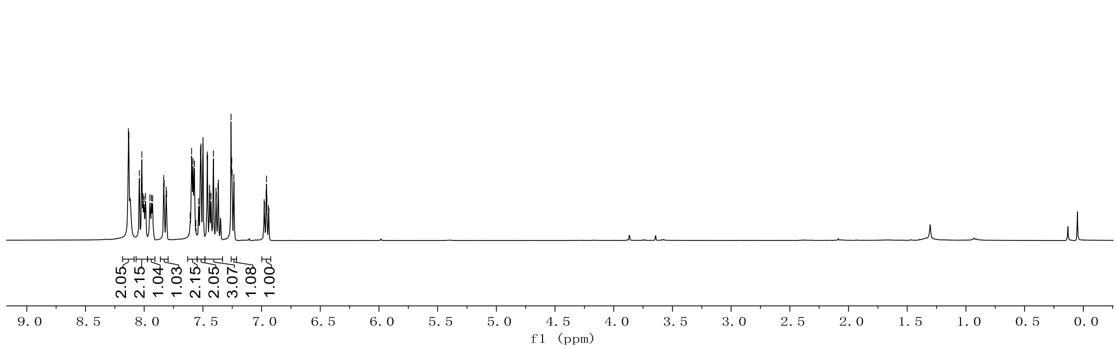
7.66
7.64
7.56
7.54
7.52
7.50
7.47
7.46
7.45
7.44
7.43
7.42
7.38
7.28
7.26
7.12
7.10
7.03
7.01
7.00
6.95
3.64
MeO
N
H
2j
0.69
2.29
6.05
3.36
3.00
f1 (ppm)

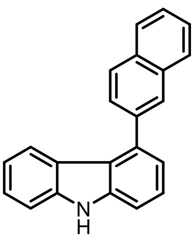


141.09
140.50
137.61
134.52
129.27
128.24
127.50
125.51
123.26
120.67
120.50
114.79
110.98
109.68
105.24
55.52
MeO
N
H
2j
f1 (ppm)

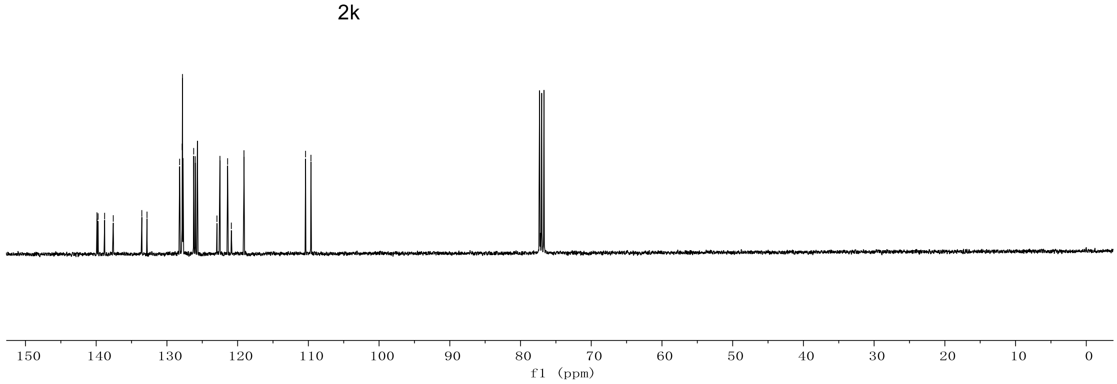


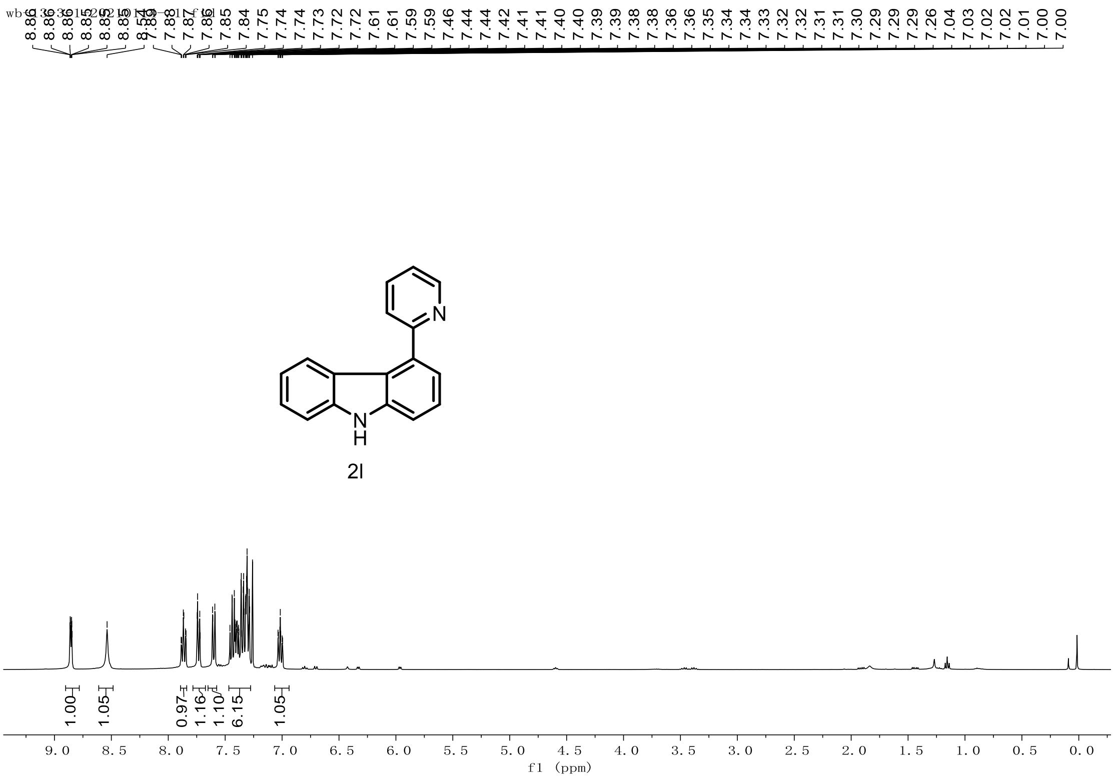
2k





2k




2l
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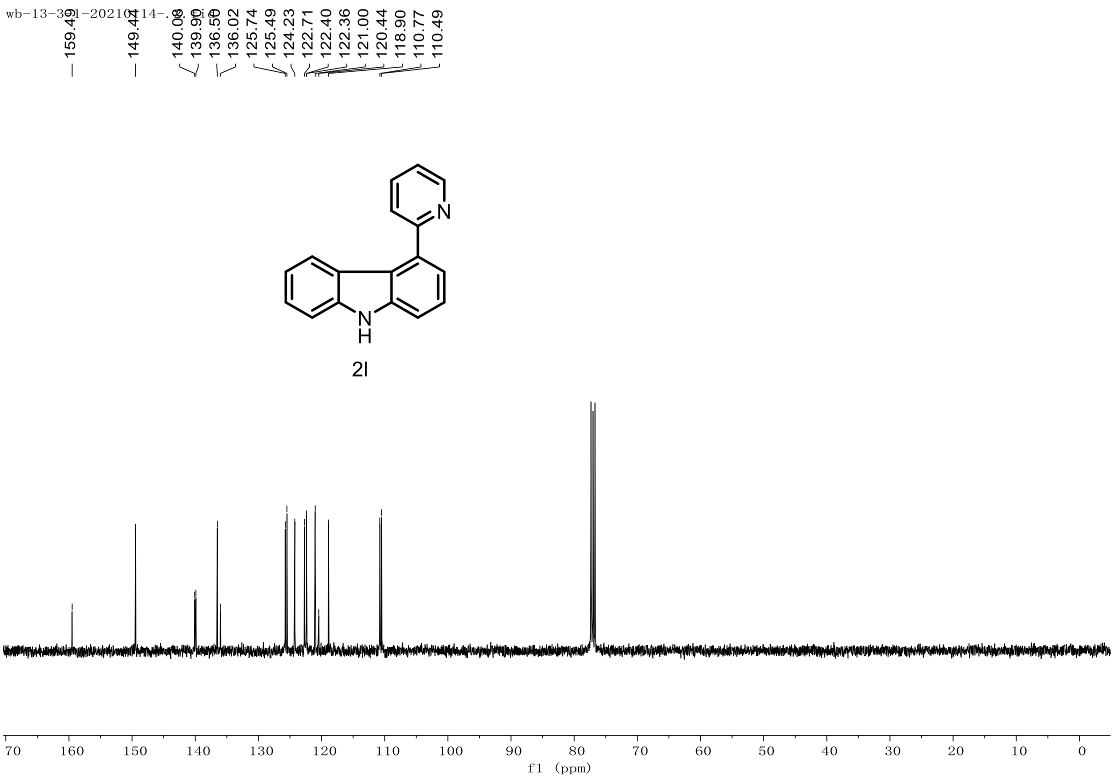
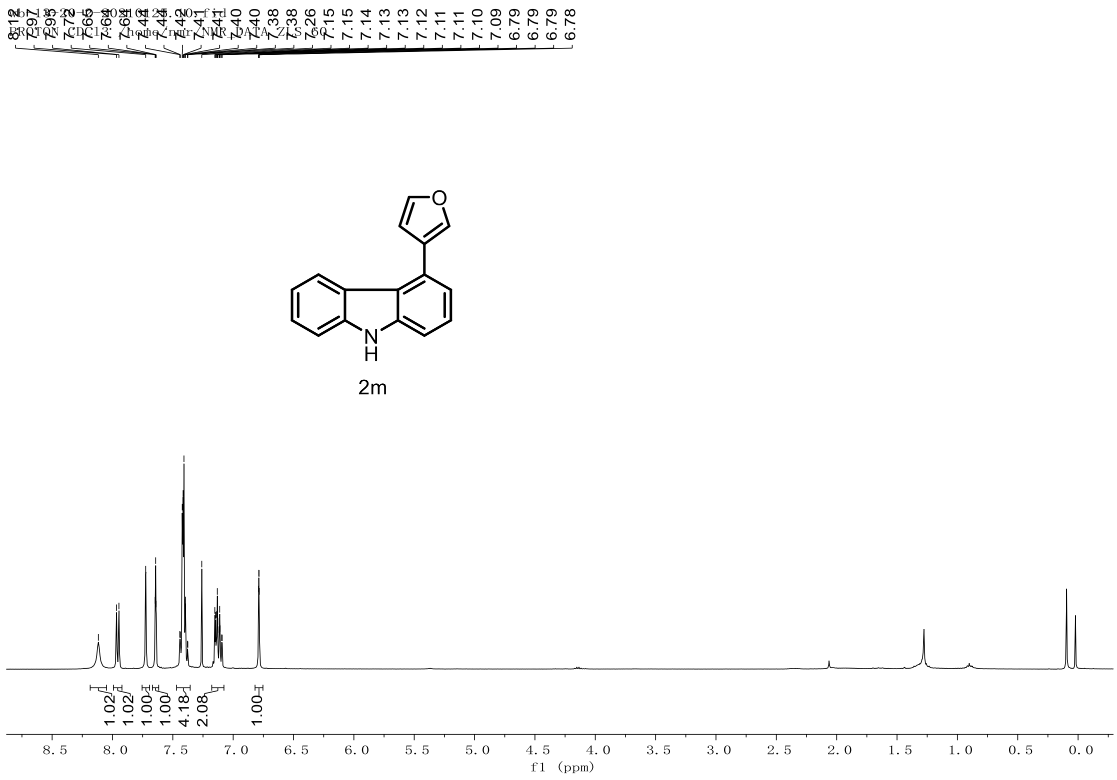
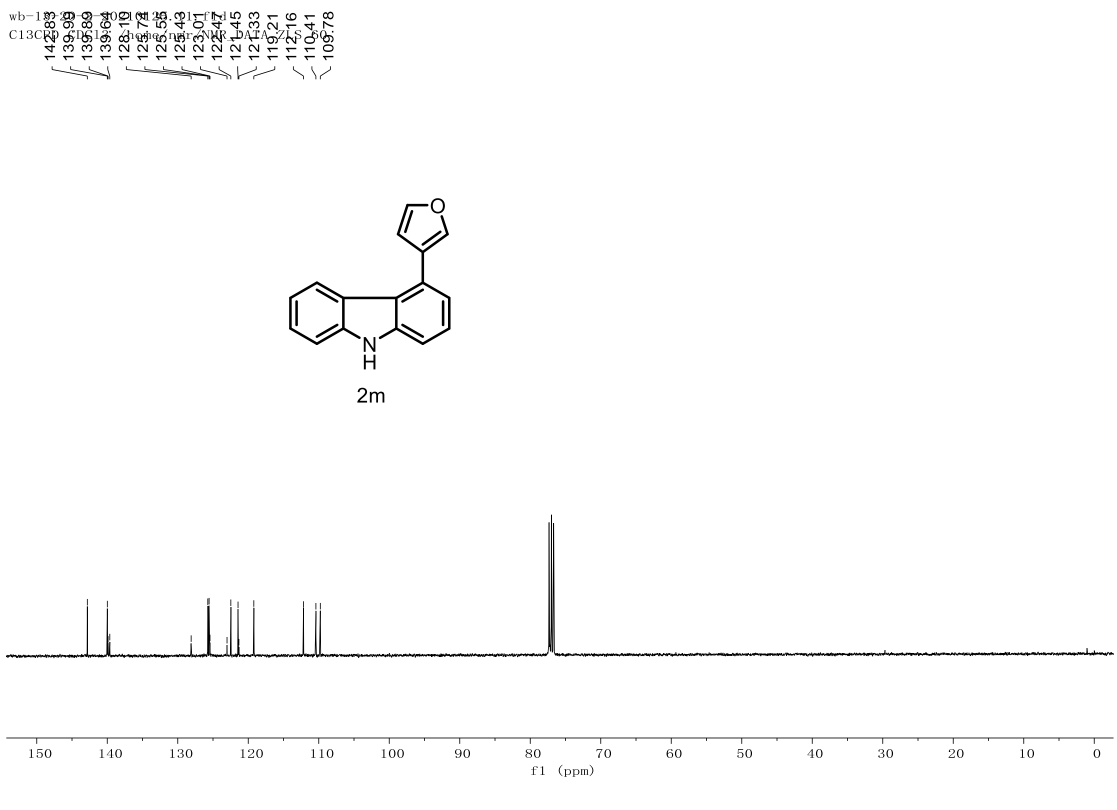
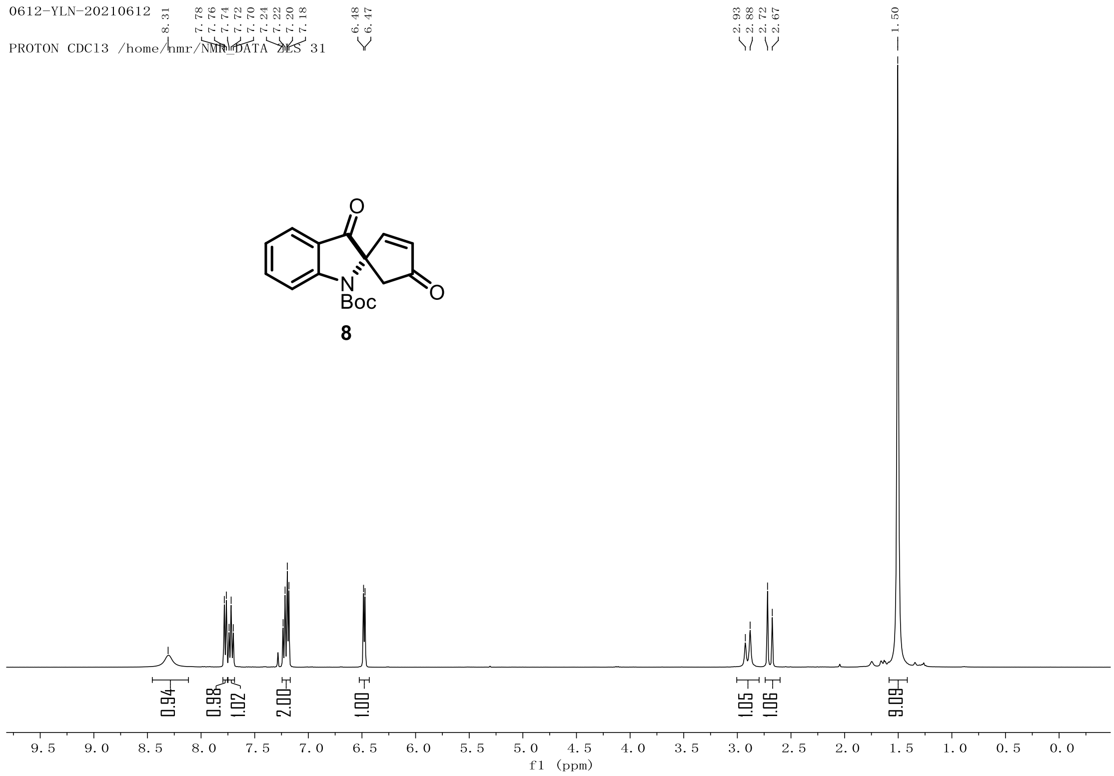
1.05
0.97
1.16
1.10
6.15
1.05
f1 (ppm)


159.49
149.44
140.09
139.90
136.56
136.02
125.74
125.49
124.23
122.71
122.40
122.36
121.00
120.44
118.90
110.77
110.49
2l
f1 (ppm)






0612-YLN-20210612
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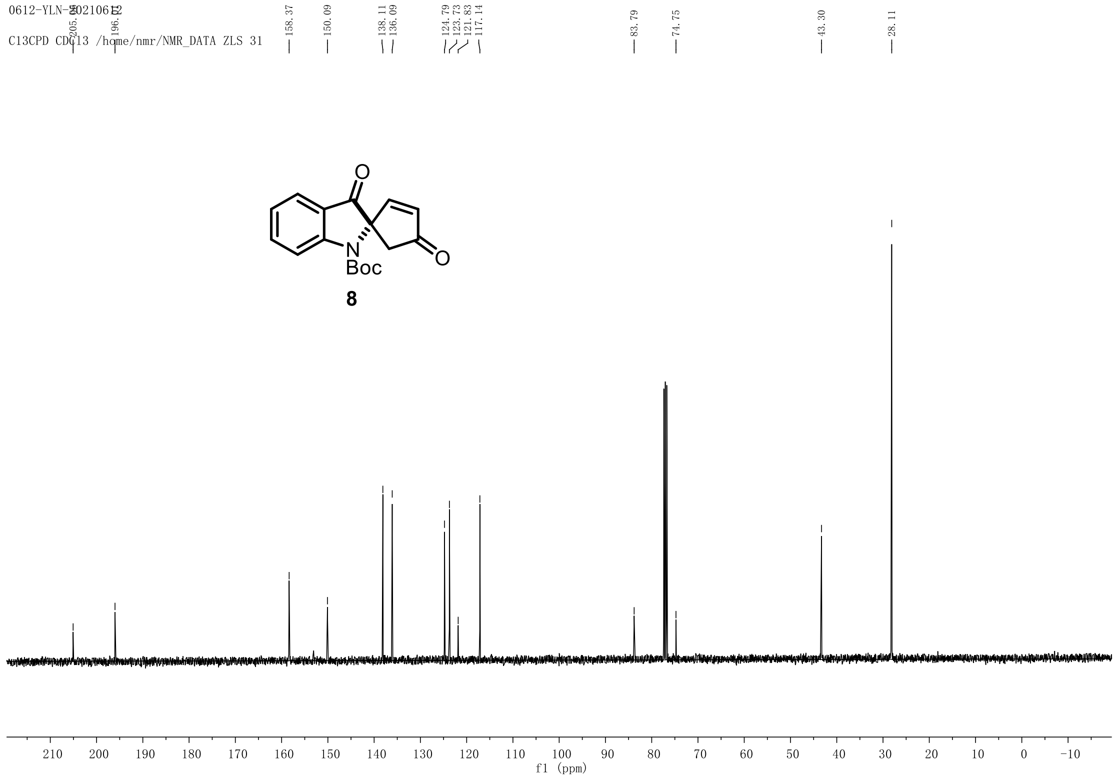
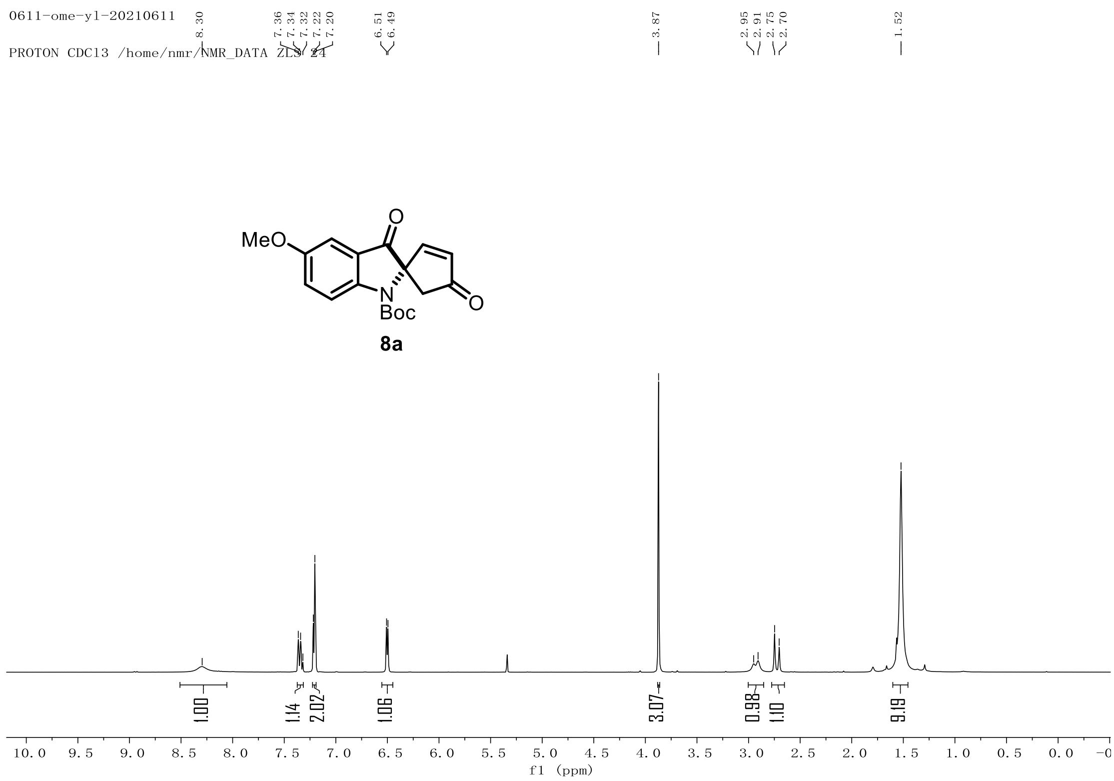
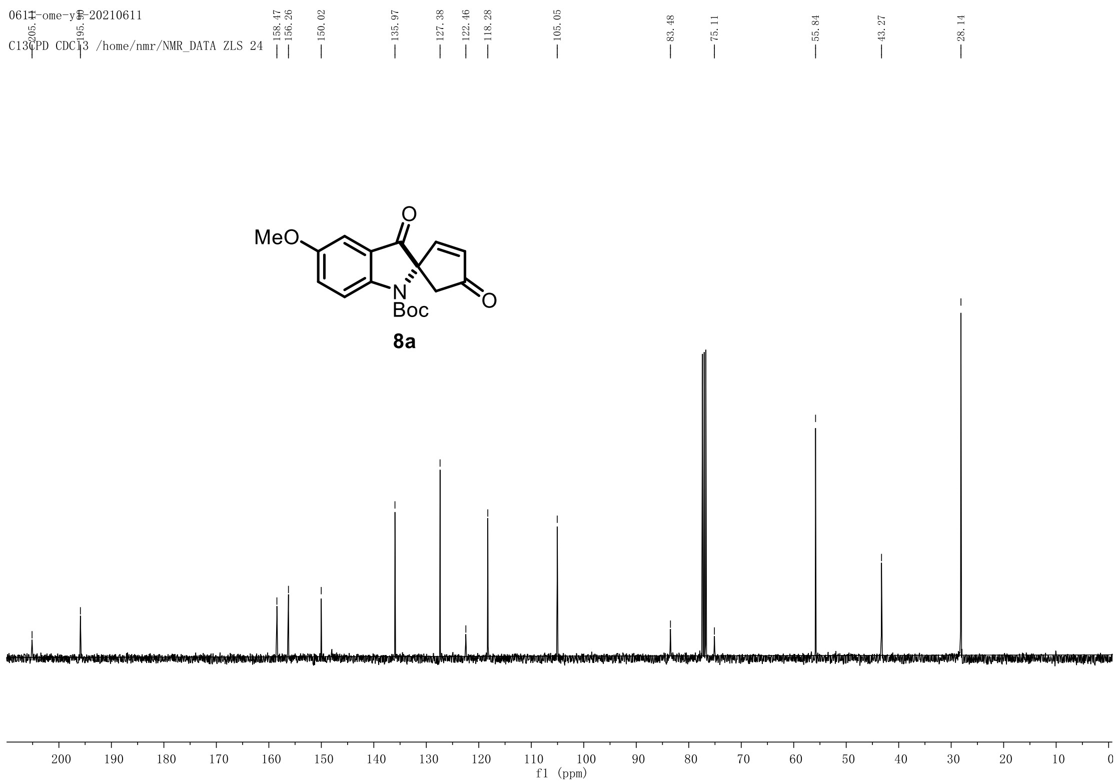
8.31
7.78 7.76 7.74 7.72 7.70 7.24 7.22 7.20 7.18
6.48 6.47
2.93 2.88 2.72 2.67
1.50
N
Boc
8
0.94
0.98
1.02
2.00
1.00
1.05
1.06
9.09
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


0612-YLN-20210612
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 31
158.37
150.09
138.11 136.09
124.79 123.73 121.83 117.14
83.79
74.75
43.30
28.11
N
Boc
8
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


0611-ome-yl-20210611
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 24
8.30
7.36 7.34 7.32 7.22 7.20
6.51 6.49
3.87
2.95 2.91 2.75 2.70
1.52
MeO
O
N
Boc
8a
1.00
1.14
2.02
1.06
3.07
0.98
1.10
9.19
f1 (ppm)


0611-ome-yl-20210611
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 24
205.
195.
158.47 156.26
150.02
135.97
127.38
122.46
118.28
105.05
83.48
75.11
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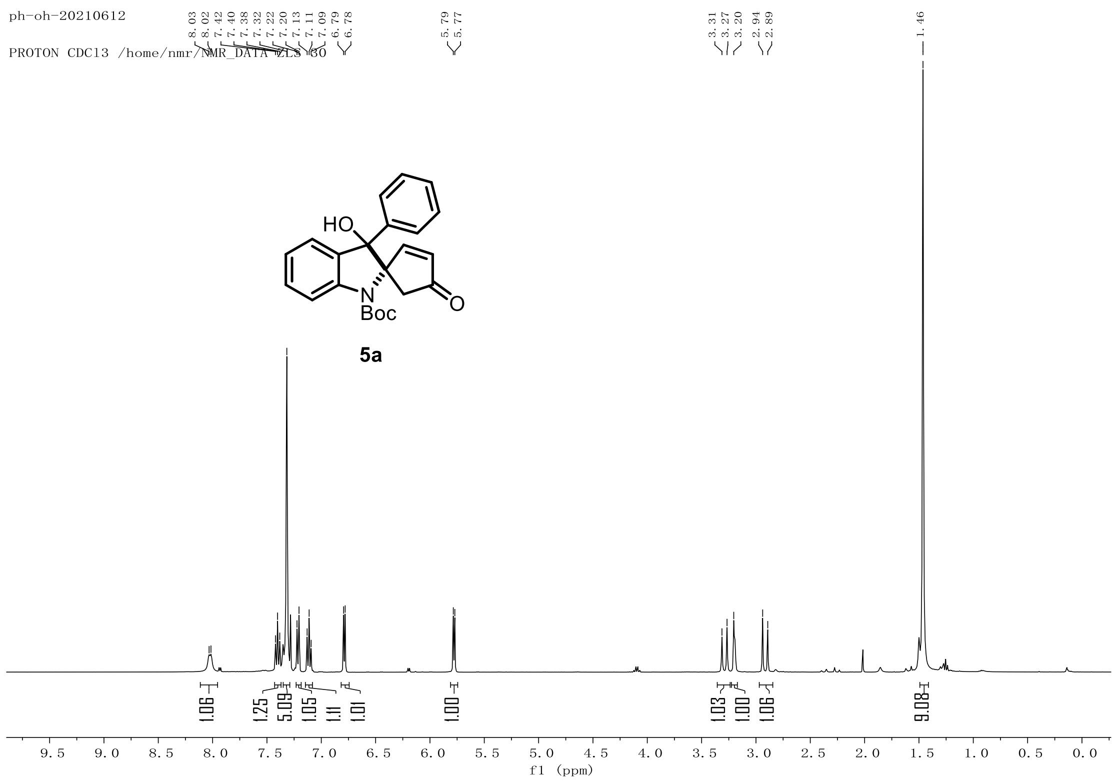
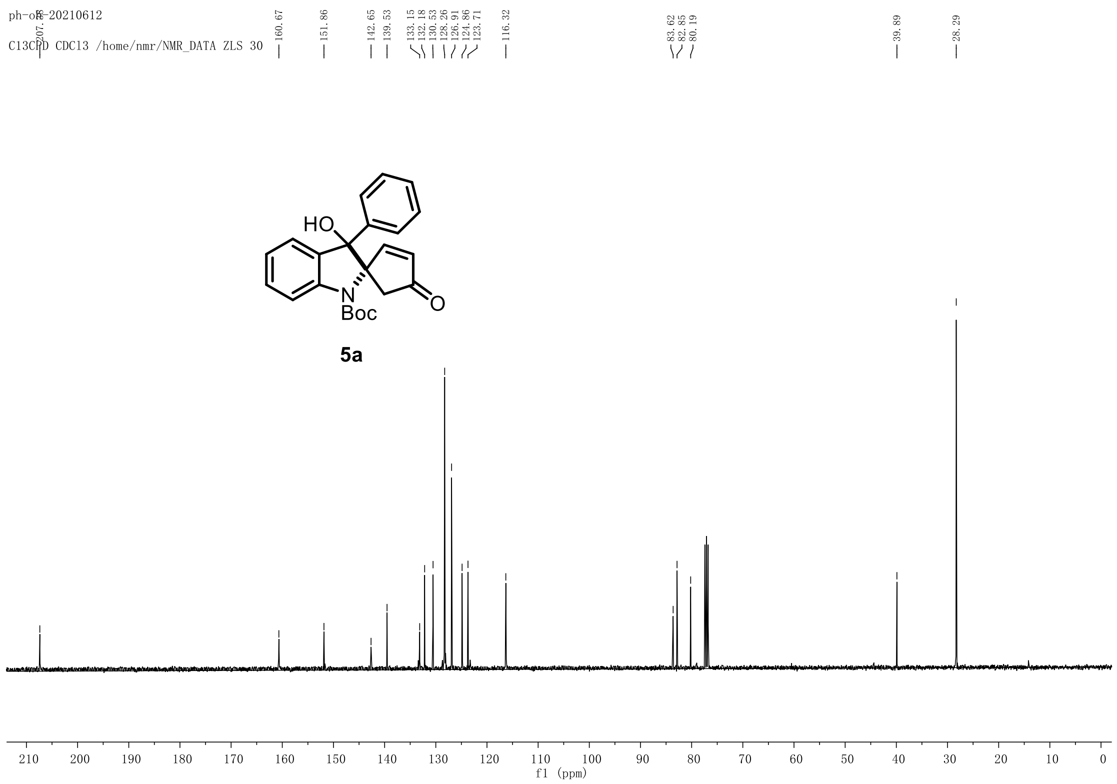
43.27
28.14
MeO
O
N
Boc
8a
f1 (ppm)


ph-oh-20210612
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 30
8.03
8.02
7.42
7.40
7.38
7.32
7.22
7.20
7.13
7.11
7.09
6.79
6.78
5.79
5.77
3.31
3.27
3.20
2.94
2.89
1.46
HO
N
Boc
O
5a
1.06
1.25
5.09
1.05
1.11
1.01
1.00
1.03
1.00
1.06
9.08
f1 (ppm)


ph-oh-20210612
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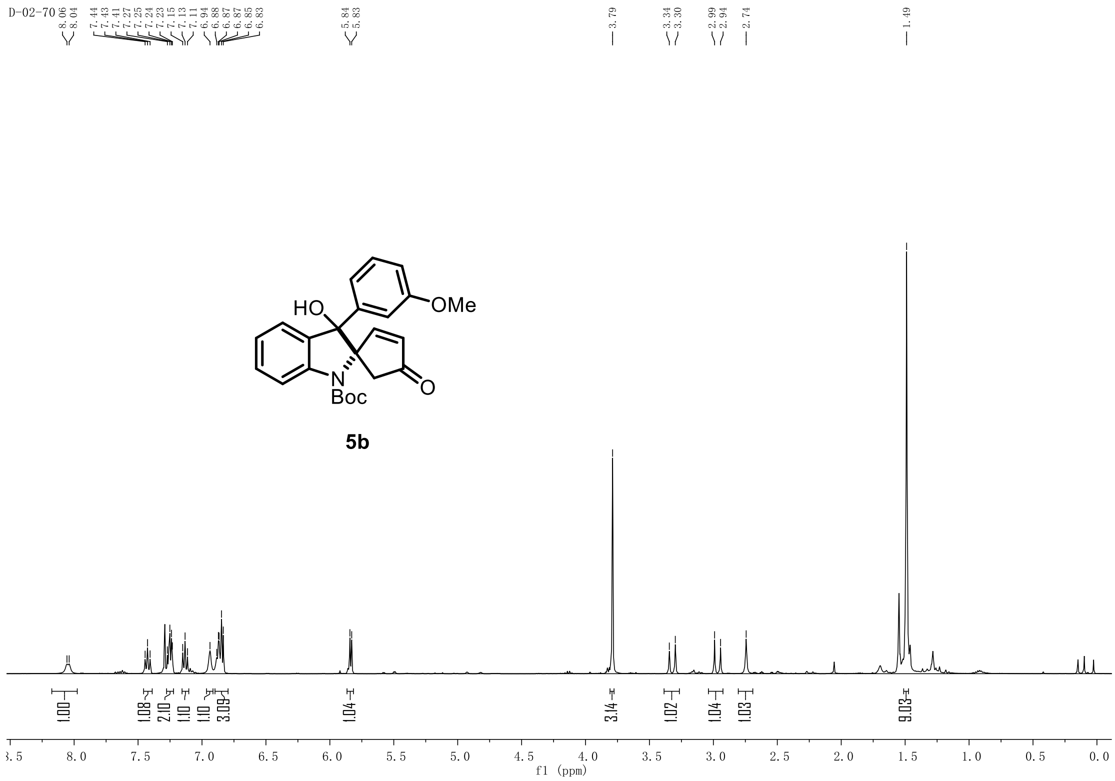
139.53
133.15
132.18
130.53
128.26
126.91
124.86
123.71
116.32
83.62
82.85
80.19
39.89
28.29
HO
N
Boc
O
5a
f1 (ppm)


D-02-70
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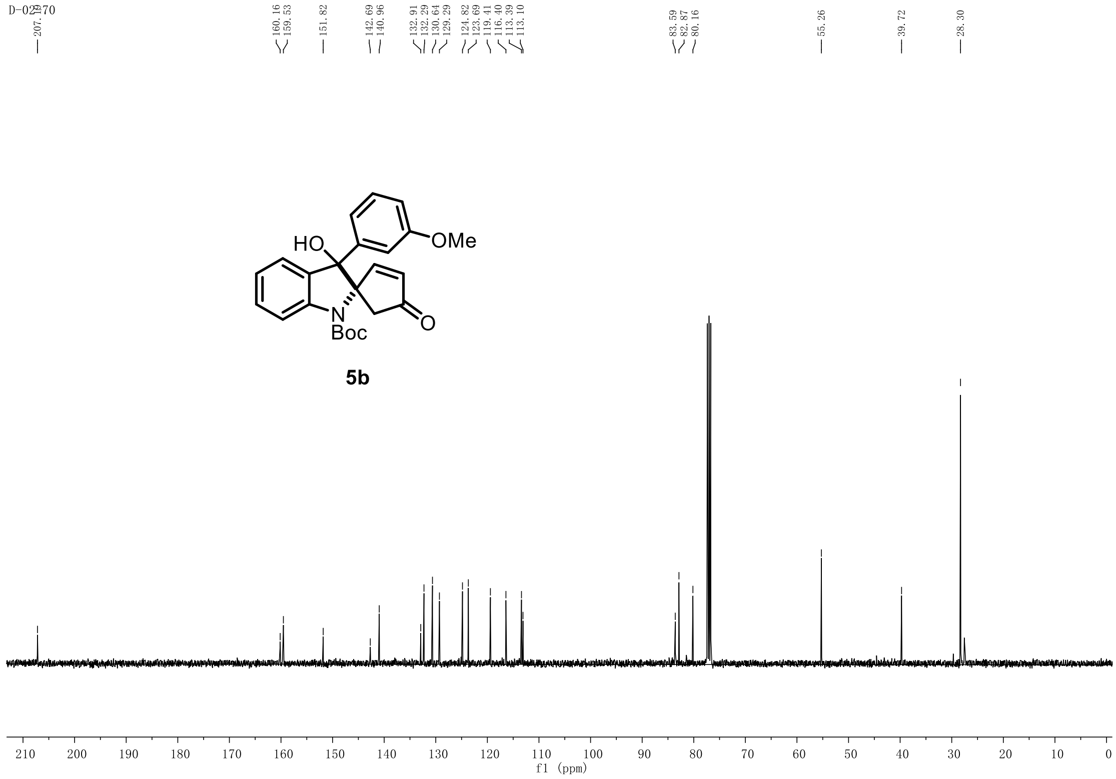
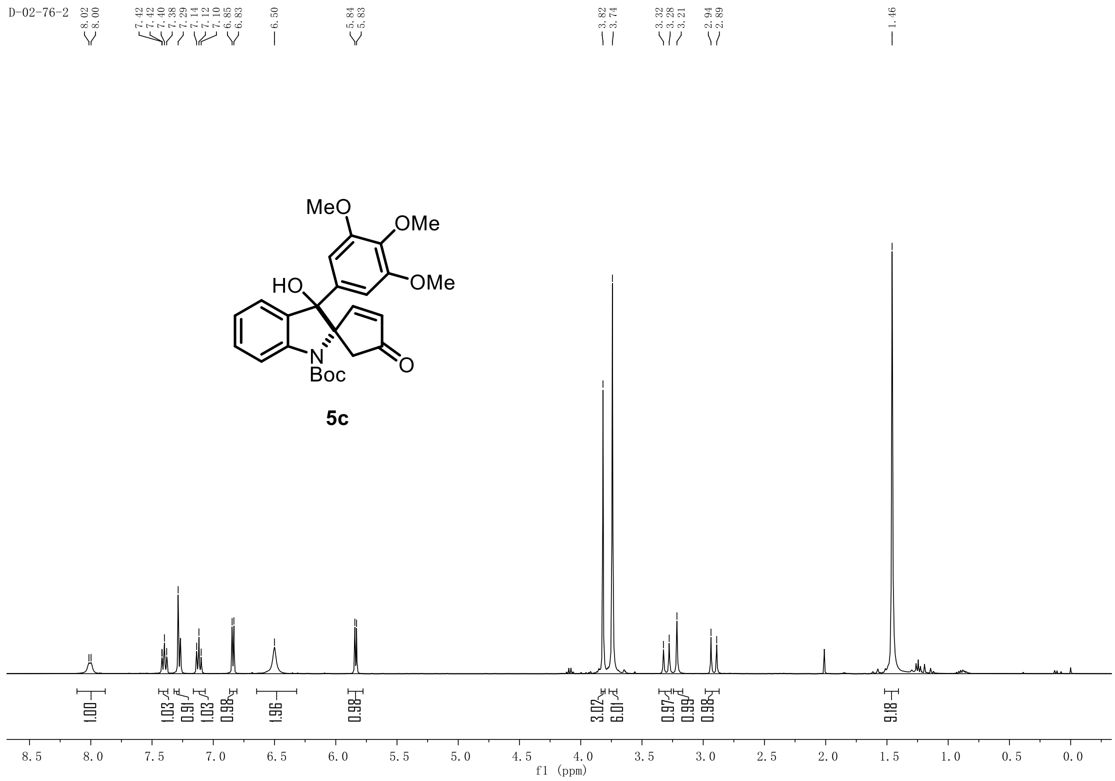
5.84 5.83
3.79
3.34 3.30
2.99 2.94
2.74
1.49
HO
OMe
N
Boc
O
5b
1.00 1.08 2.10 1.10 1.10 3.09 1.04 3.14 1.02 1.04 1.03 9.03
f1 (ppm)


D-02-70
207.19
160.16 159.53
151.82
142.69 140.96
132.91 132.29 130.64 129.29
124.82 123.69 119.41 116.40 113.39 113.10
83.59 82.87 80.16
55.26
39.72
28.30
HO
OMe
N
Boc
O
5b
f1 (ppm)


D-02-76-2
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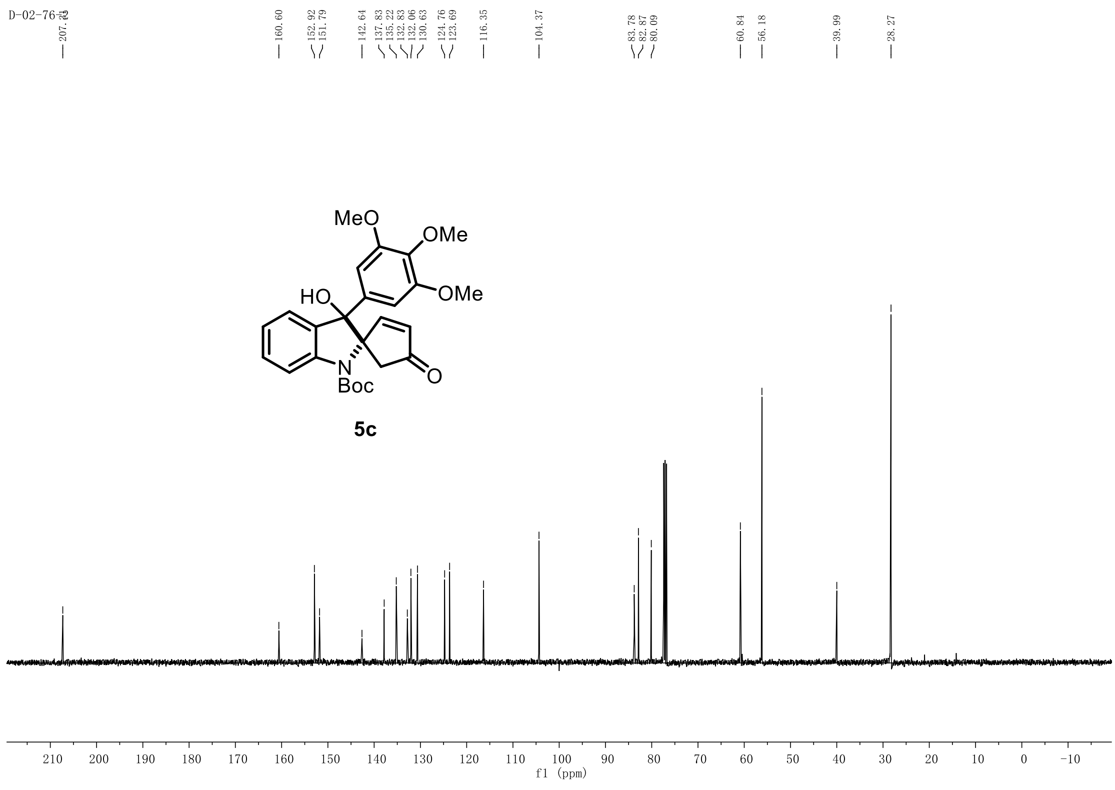
8.00
7.42
7.42
7.40
7.38
7.29
7.14
7.12
7.10
6.85
6.83
6.50
5.84
5.83
3.82
3.74
3.32
3.28
3.21
2.94
2.89
1.46
MeO
OMe
HO
OMe
N
Boc
O
5c
1.00
1.03
0.91
1.03
0.98
1.96
0.98
3.02
6.01
0.97
0.99
0.98
9.18
f1 (ppm)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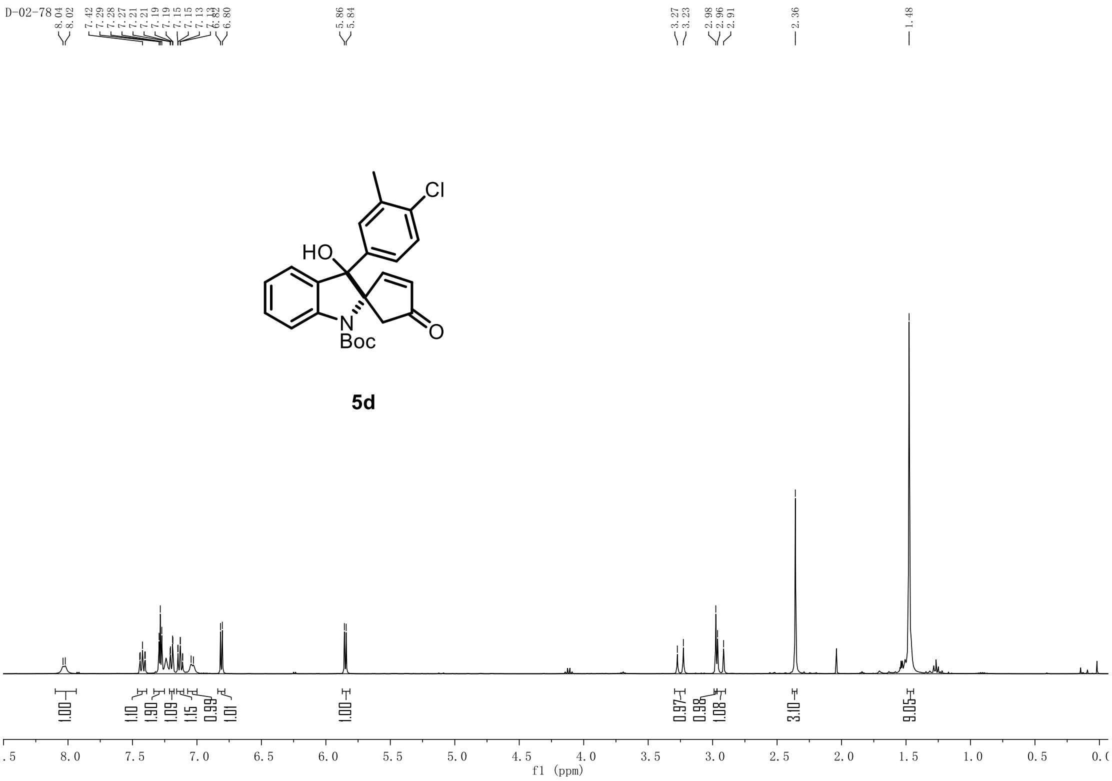
137.83
135.22
132.83
132.06
130.63
124.76
123.69
116.35
104.37
83.78
82.87
80.09
60.84
56.18
39.99
28.27
MeO
OMe
HO
OMe
N
Boc
O
5c
f1 (ppm)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8.02
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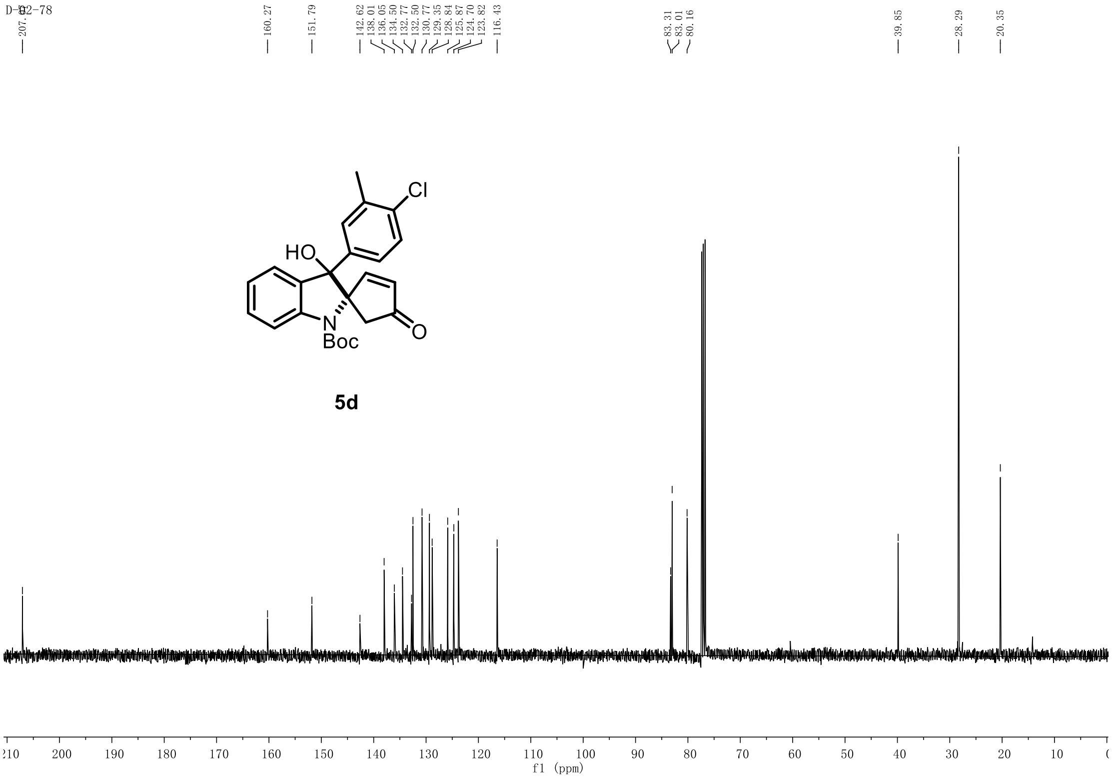
7.29
7.28
7.27
7.21
7.21
7.19
7.15
7.15
7.13
7.13
6.82
6.80
5.86
5.84
3.27
3.23
2.98
2.96
2.91
2.36
1.48
HO
Cl
N
Boc
O
5d
1.00
1.10
1.90
1.09
1.15
0.99
1.01
1.00
0.97
0.98
1.08
3.10
9.05
f1 (ppm)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138.01
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134.50
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132.50
130.77
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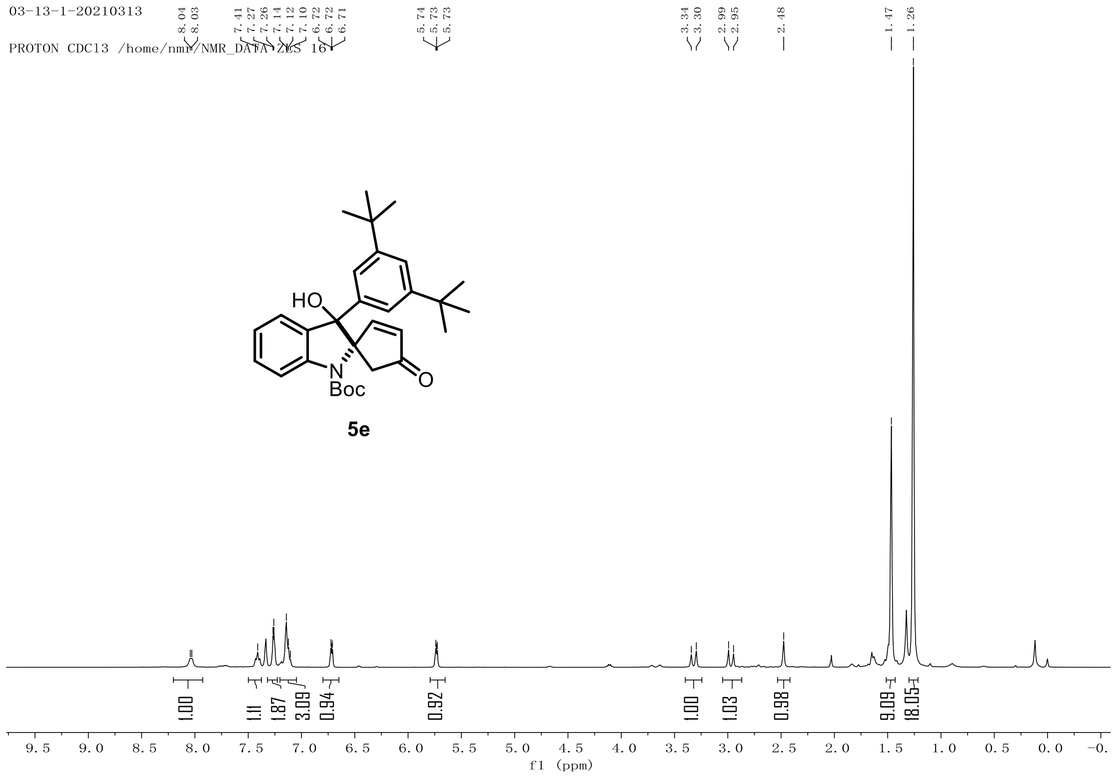
128.84
125.87
124.70
123.82
116.43
83.31
83.01
80.16
39.85
28.29
20.35
HO
Cl
N
Boc
O
5d
f1 (ppm)


03-13-1-20210313
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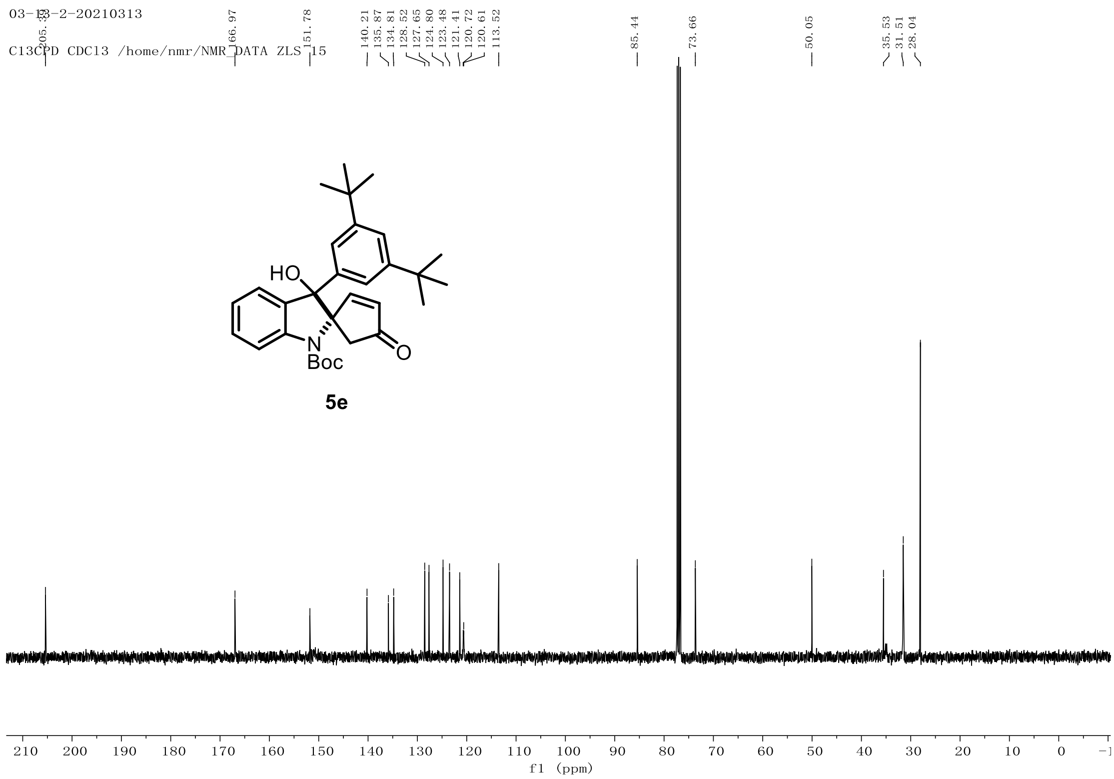
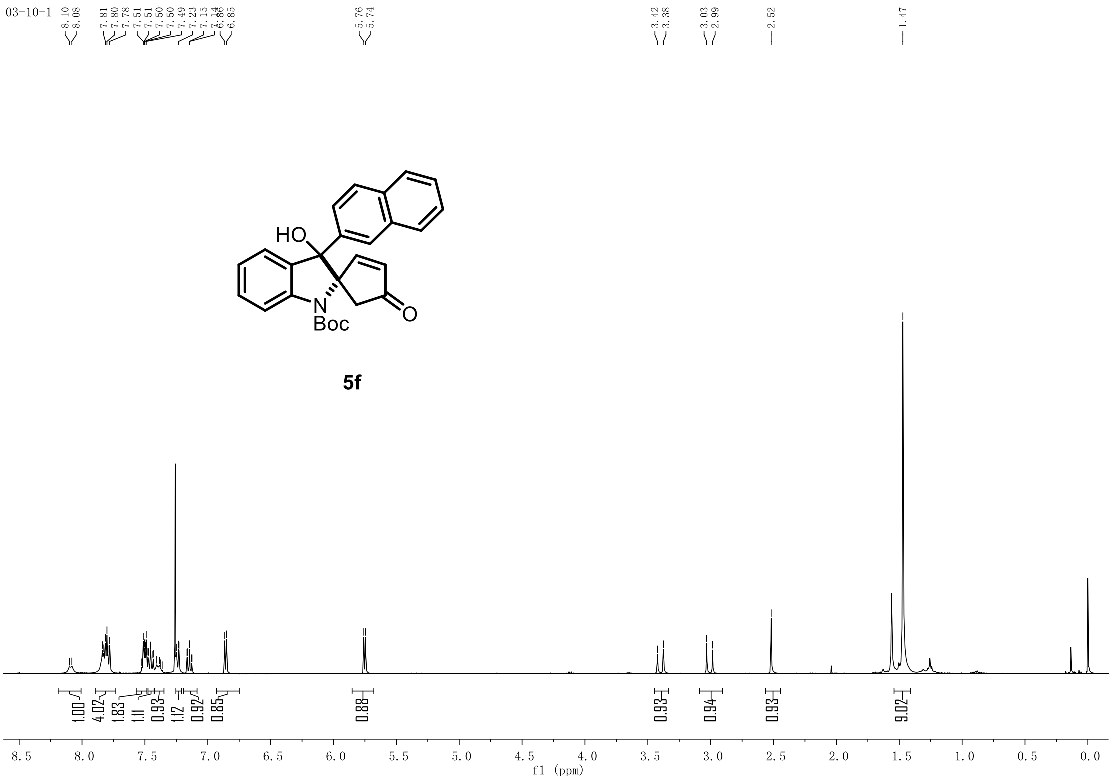
8.04 8.03 7.41 7.27 7.26 7.14 7.12 7.10 6.72 6.72 6.71 5.74 5.73 5.73 3.34 3.30 2.99 2.95 2.48 1.47 1.26
HO
N
Boc
O
5e
1.00 1.11 1.87 3.09 0.94 0.92 1.00 1.03 0.98 9.09 18.05
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 15
166.97 151.78 140.21 135.87 134.81 128.52 127.65 124.80 123.48 121.41 120.72 120.61 113.52 85.44 73.66 50.05 35.53 31.51 28.04
HO
N
Boc
O
5e
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


03-10-1
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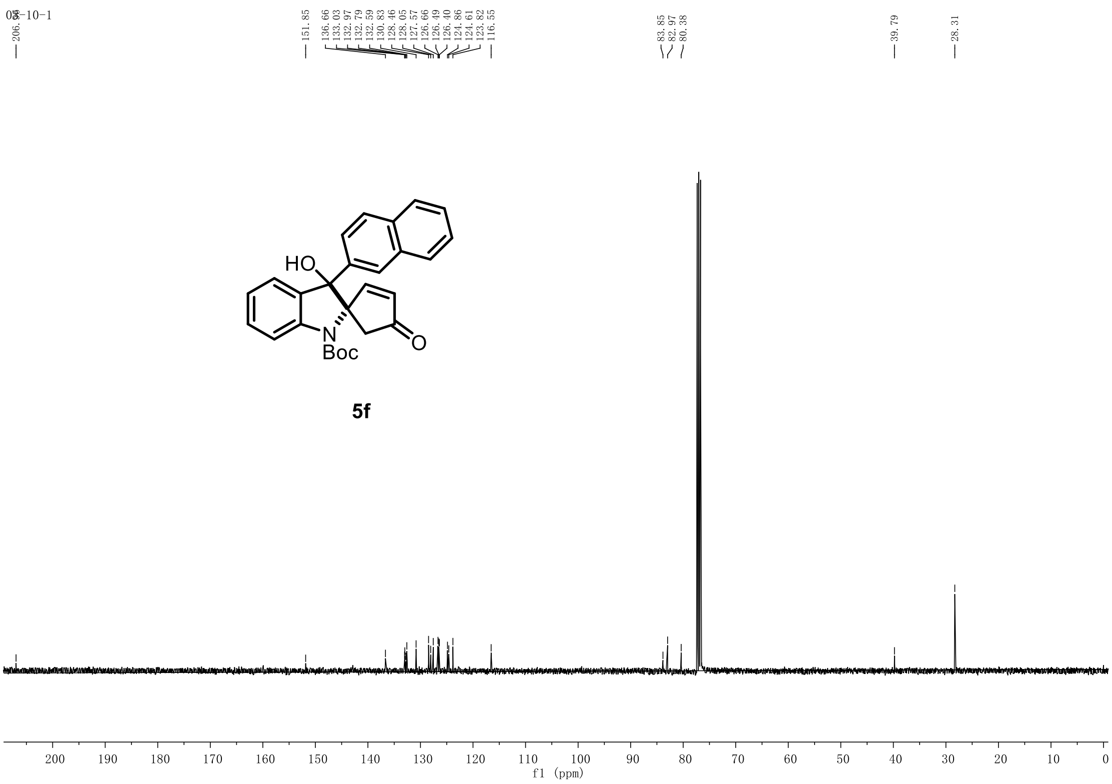
8.08
7.81
7.80
7.78
7.51
7.51
7.50
7.50
7.49
7.23
7.15
5.76
5.74
3.42
3.38
3.03
2.99
2.52
1.47
HO
N
Boc
O
5f
1.00
4.02
1.83
1.11
0.93
1.12
0.92
0.85
0.88
0.93
0.94
0.93
9.02
f1 (ppm)


03-10-1
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136.66
133.03
132.97
132.79
132.59
130.83
128.46
128.05
127.57
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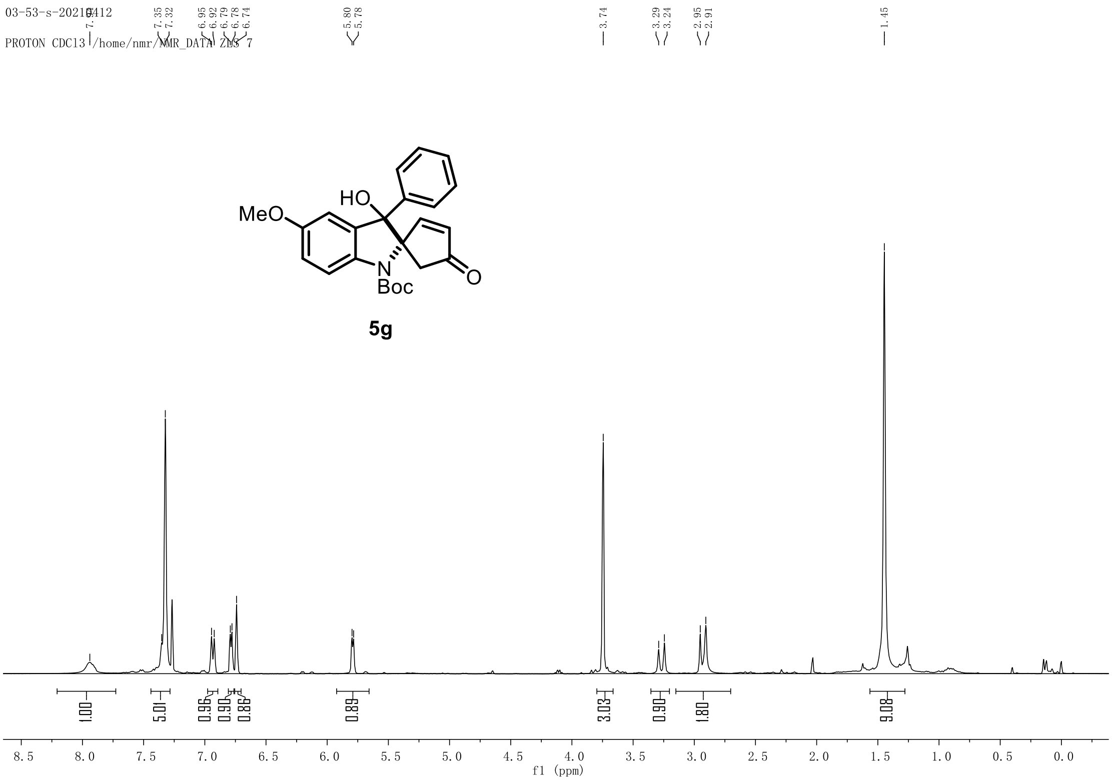
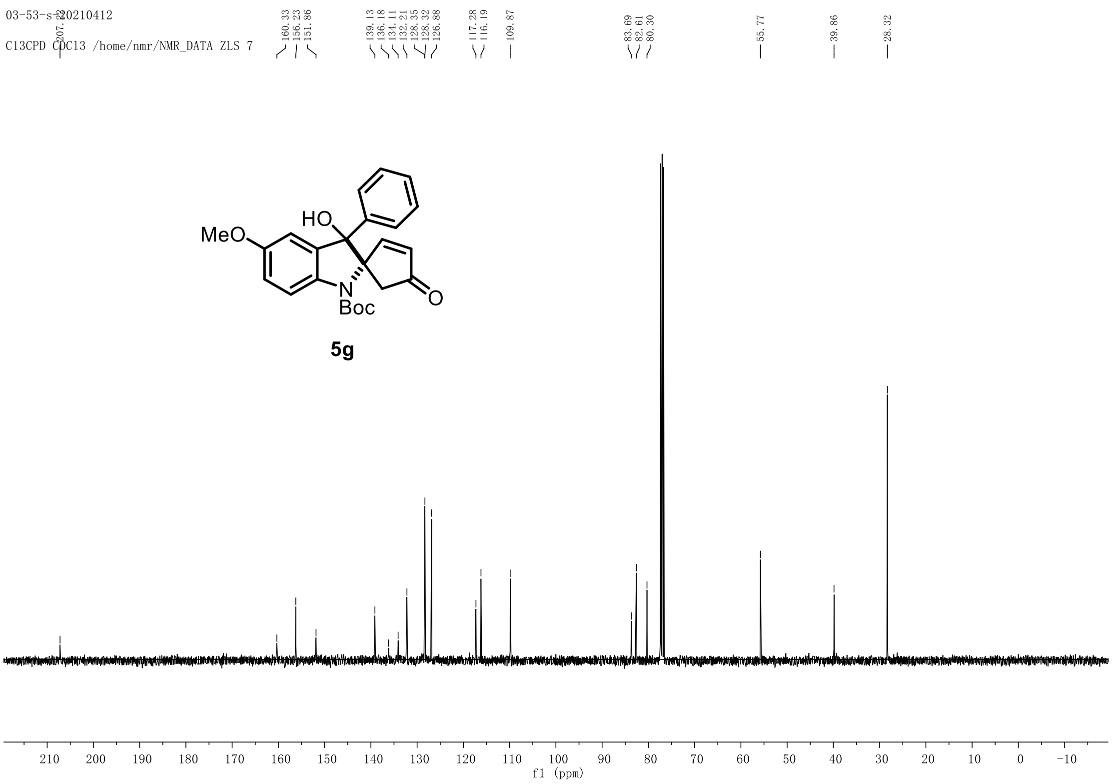
126.49
126.40
124.86
124.61
123.82
116.55
83.85
82.97
80.38
39.79
28.31
HO
N
Boc
O
5f
f1 (ppm)

03-53-s-20210412
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 7

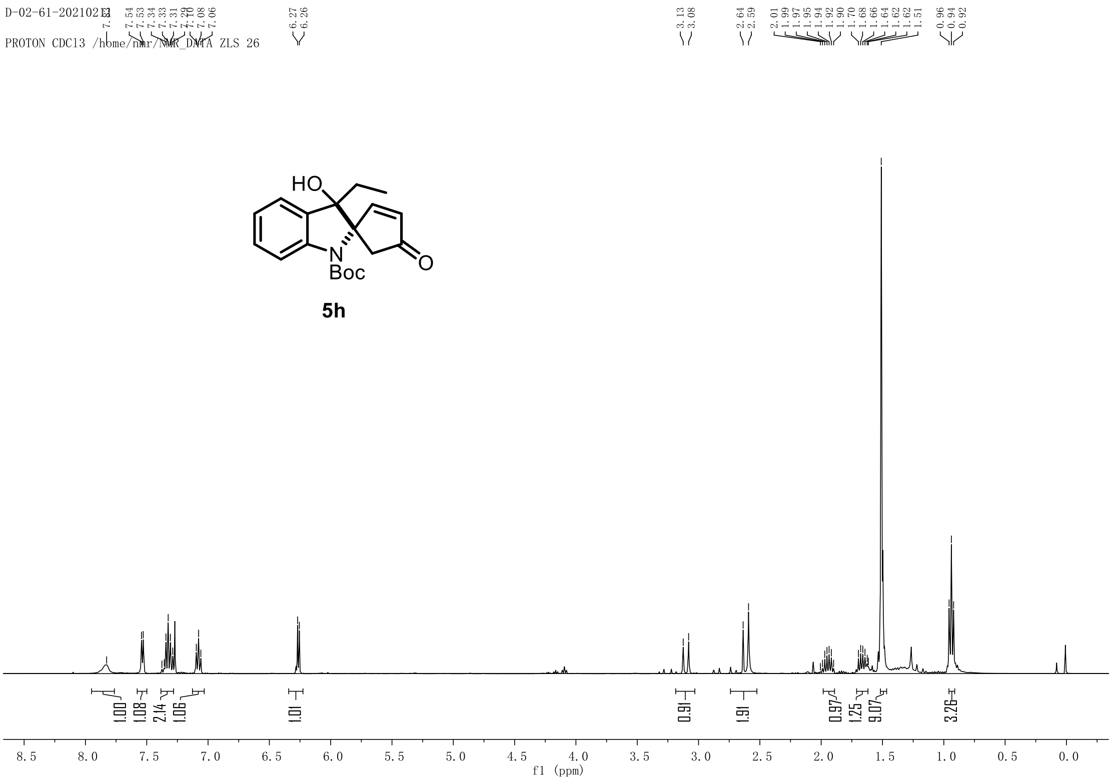


03-53-s-20210412
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 7



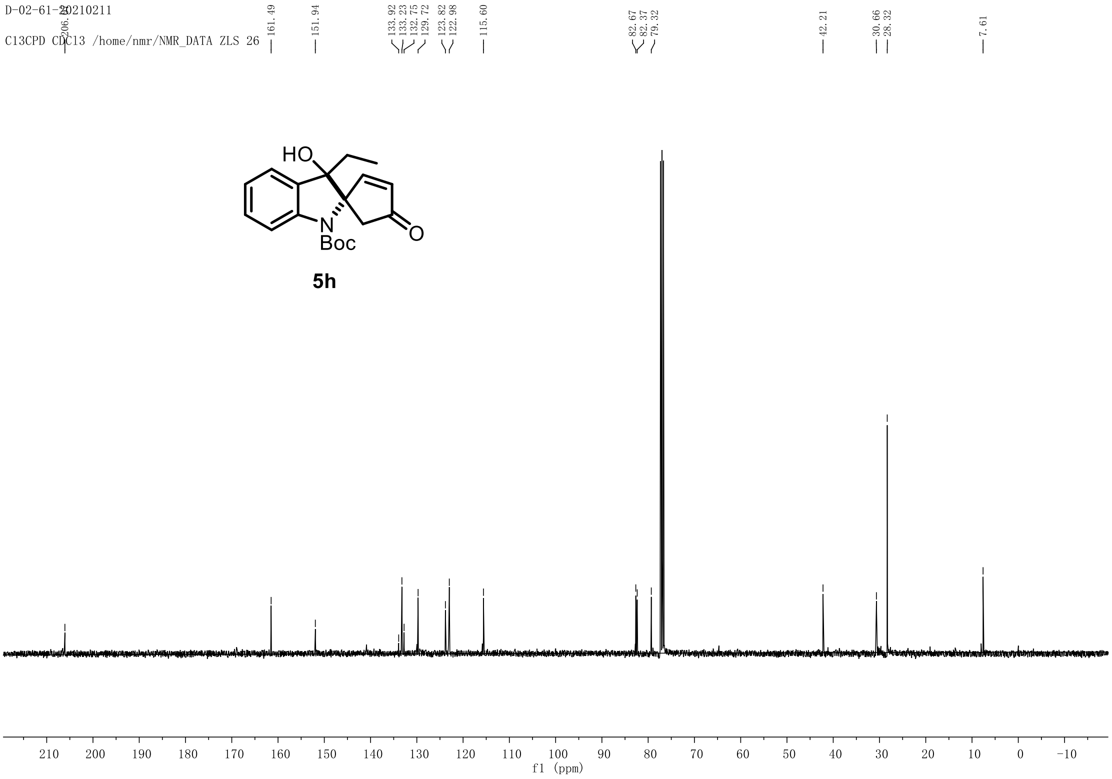
D-02-61-20210211

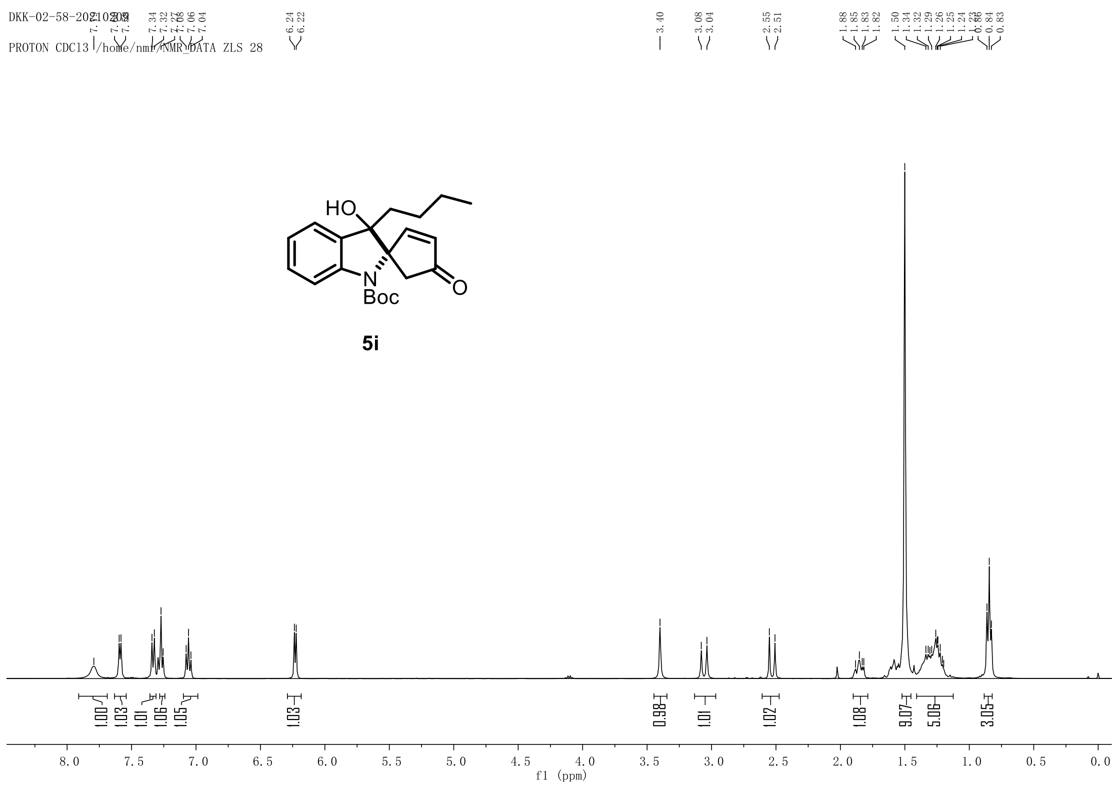
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 26

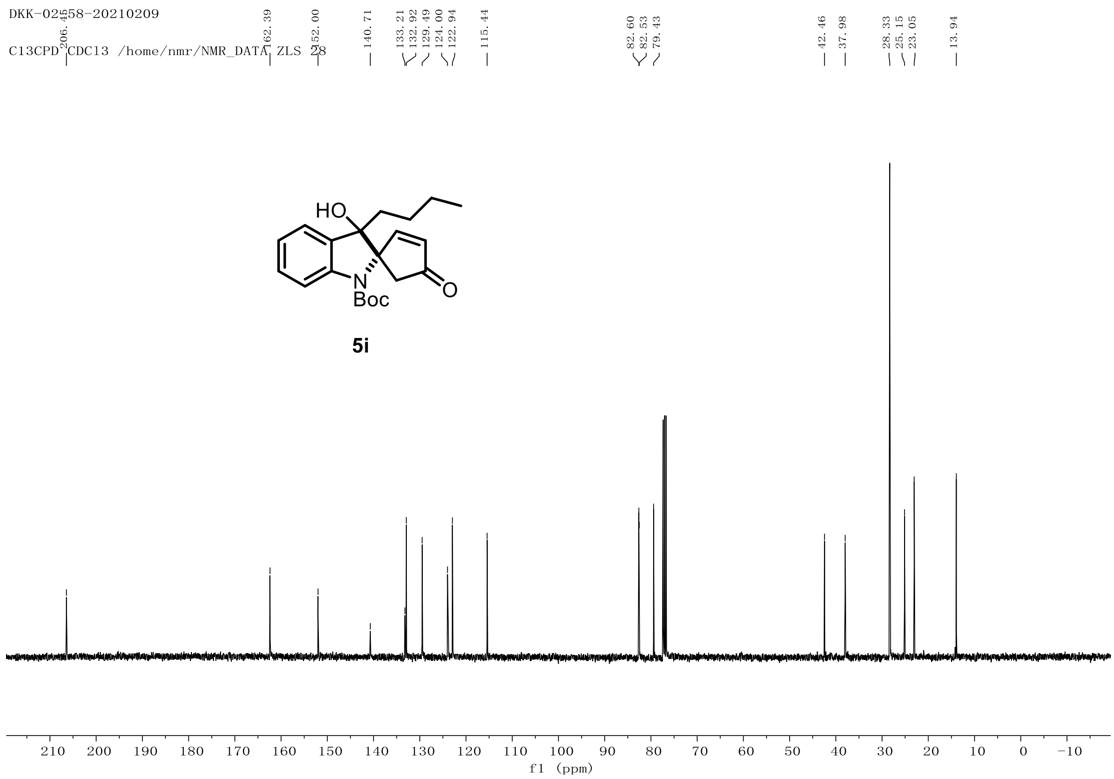


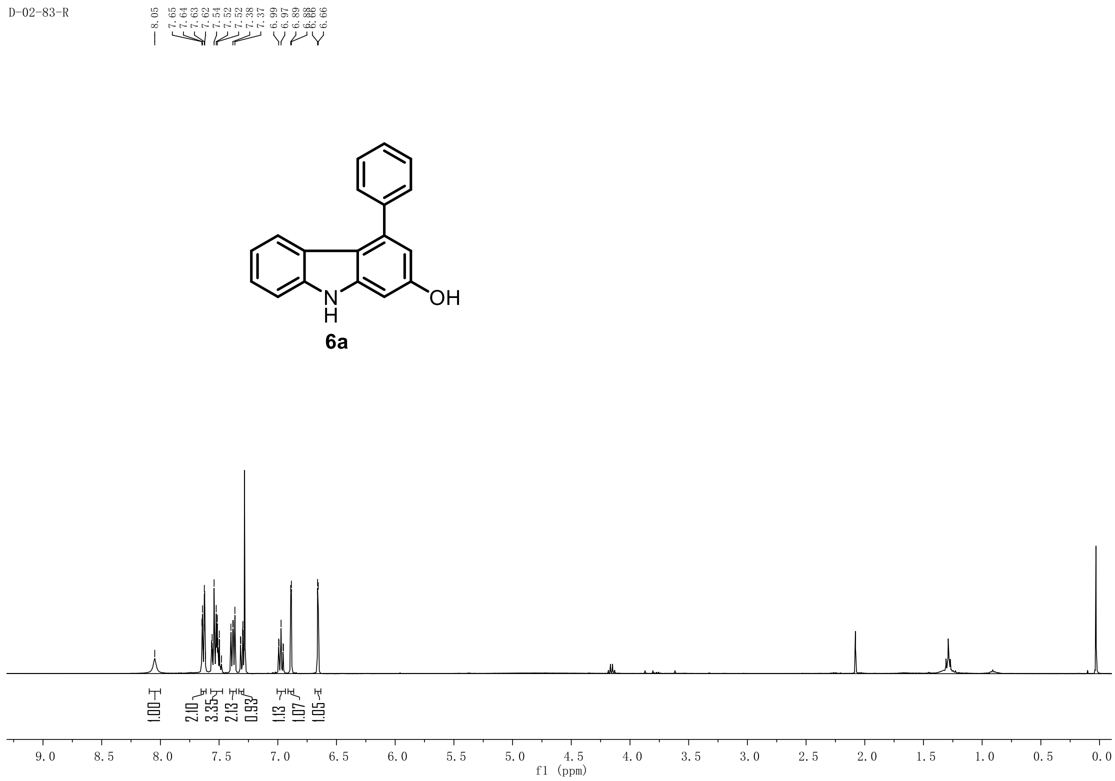
D-02-61-20210211

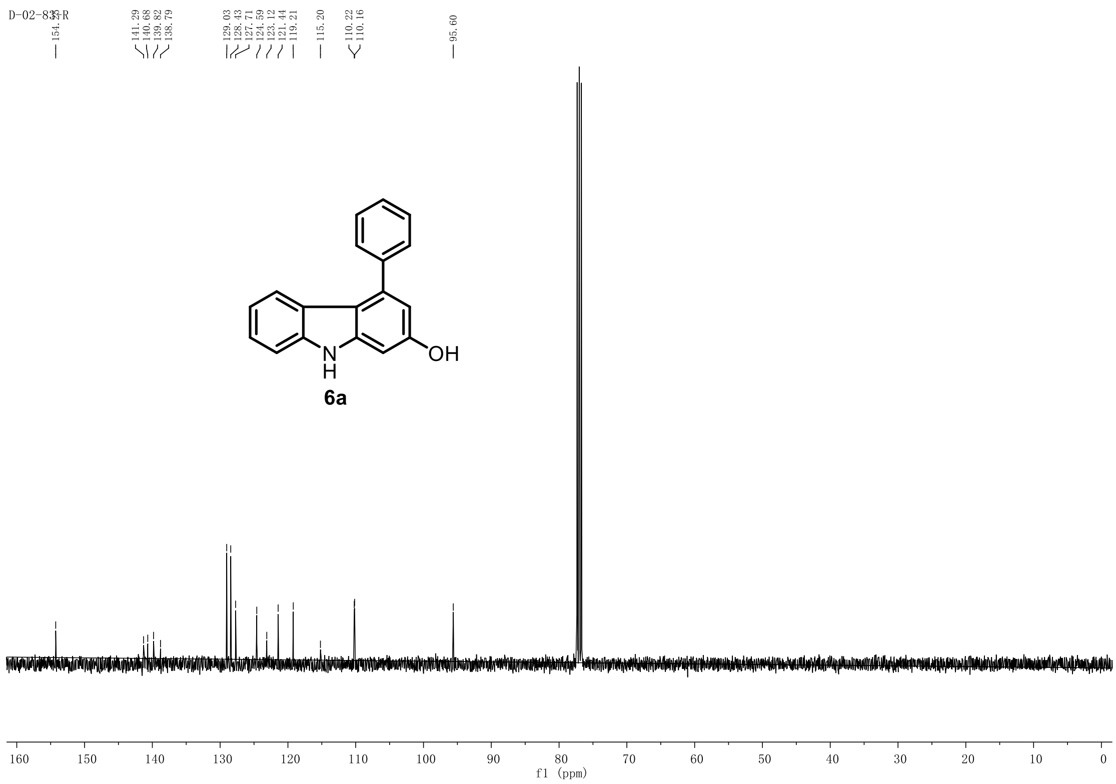
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 26

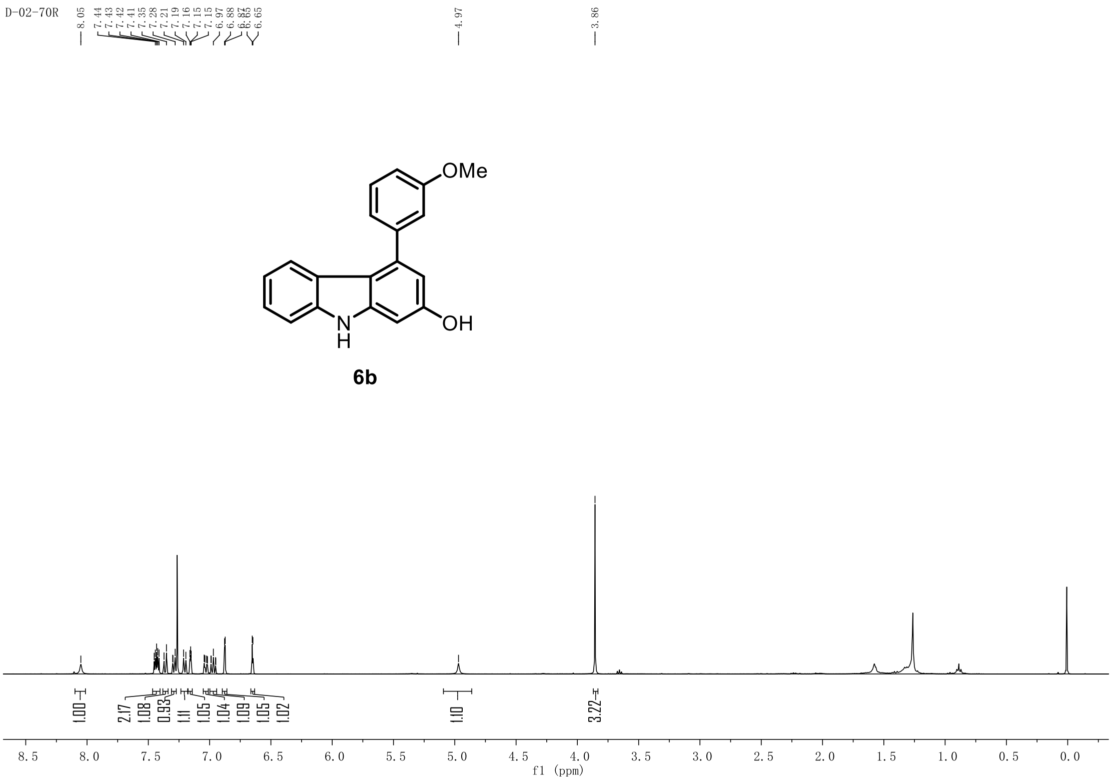


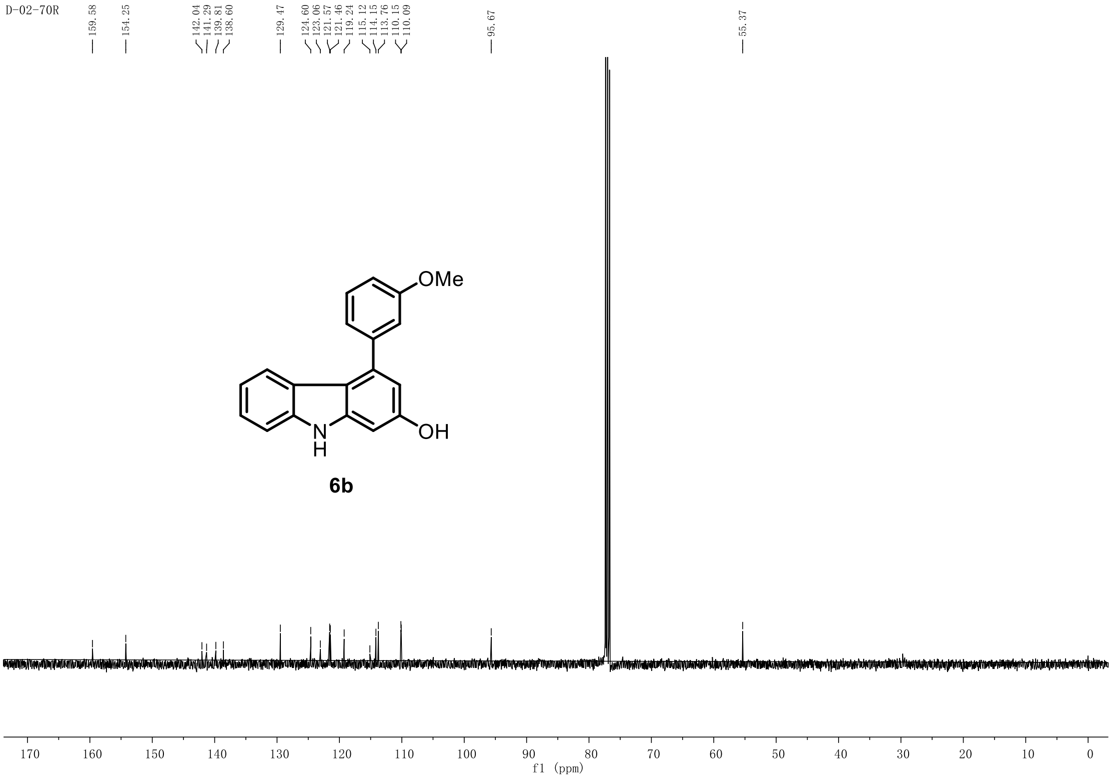

DKK-02-58-20210209
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 28
HO
N
Boc
O
5i
f1 (ppm)

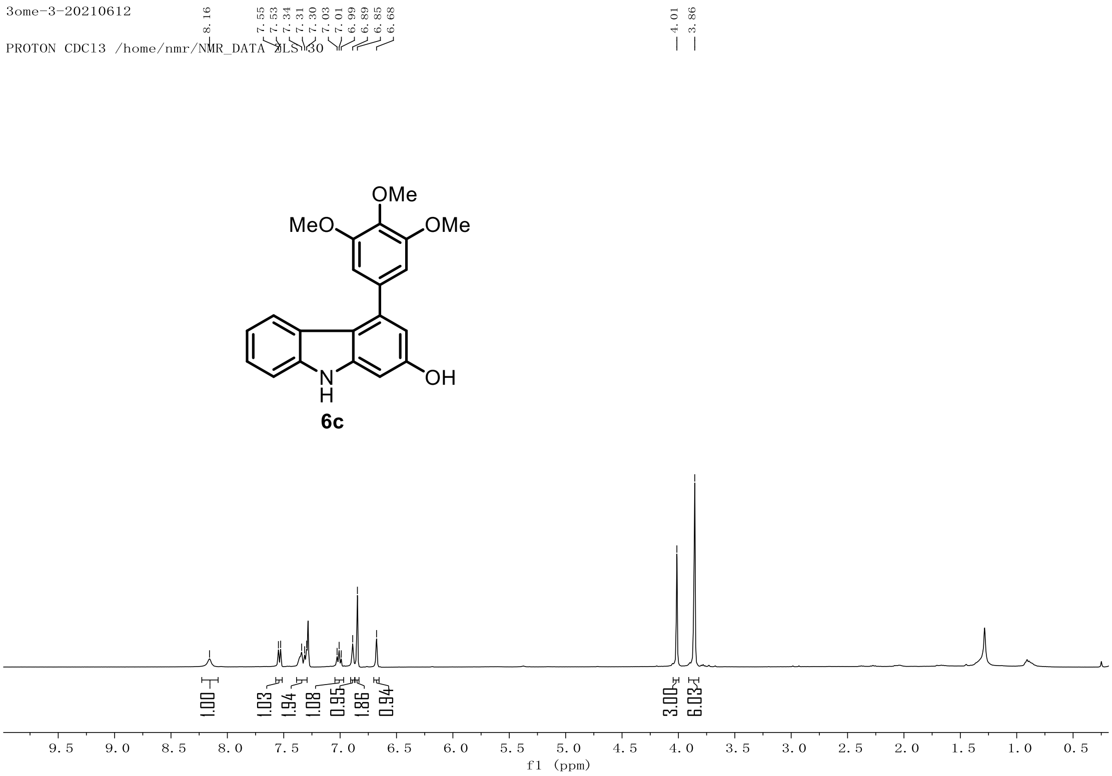

DKK-02-58-20210209
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 28
206.45
162.39
152.00
140.71
133.21
132.92
129.49
124.00
122.94
115.44
82.60
82.53
79.43
42.46
37.98
28.33
25.15
23.05
13.94
HO
N
Boc
O
5i
f1 (ppm)

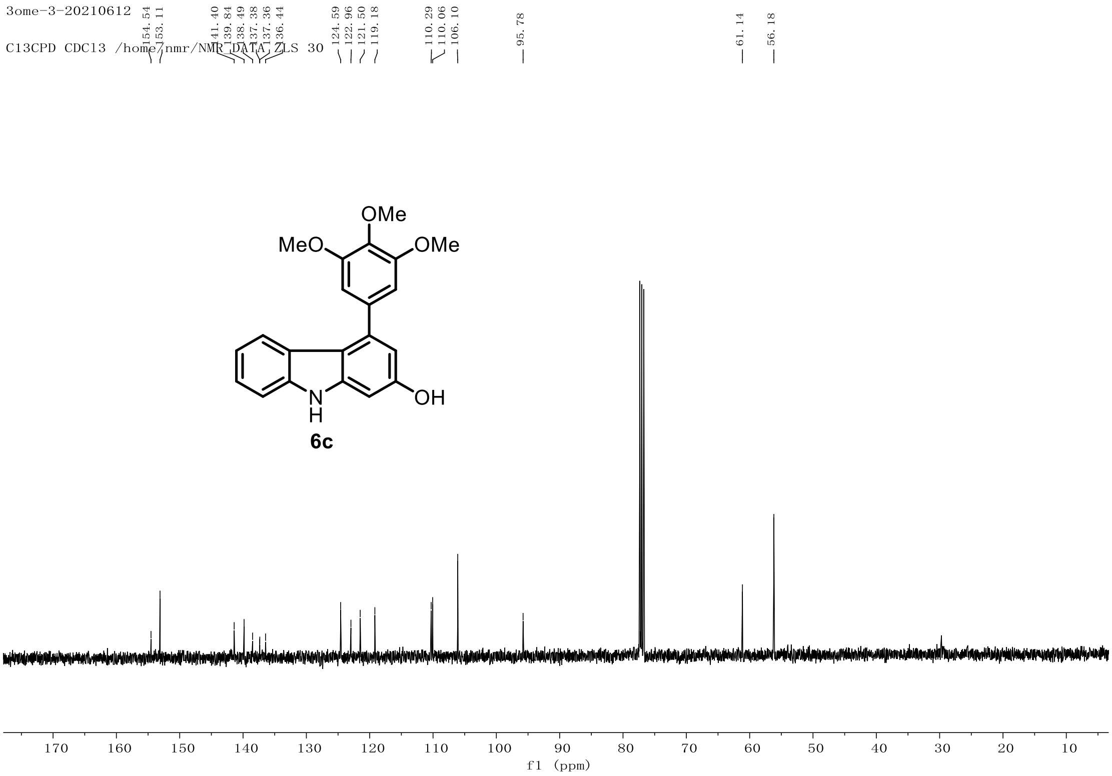

D-02-83-R
N
H
OH
6a
f1 (ppm)


D-02-83-R
141.29
140.68
139.82
138.79
129.03
128.43
127.71
124.59
123.12
121.44
119.21
115.20
110.22
110.16
95.60
N
H
OH
6a
f1 (ppm)

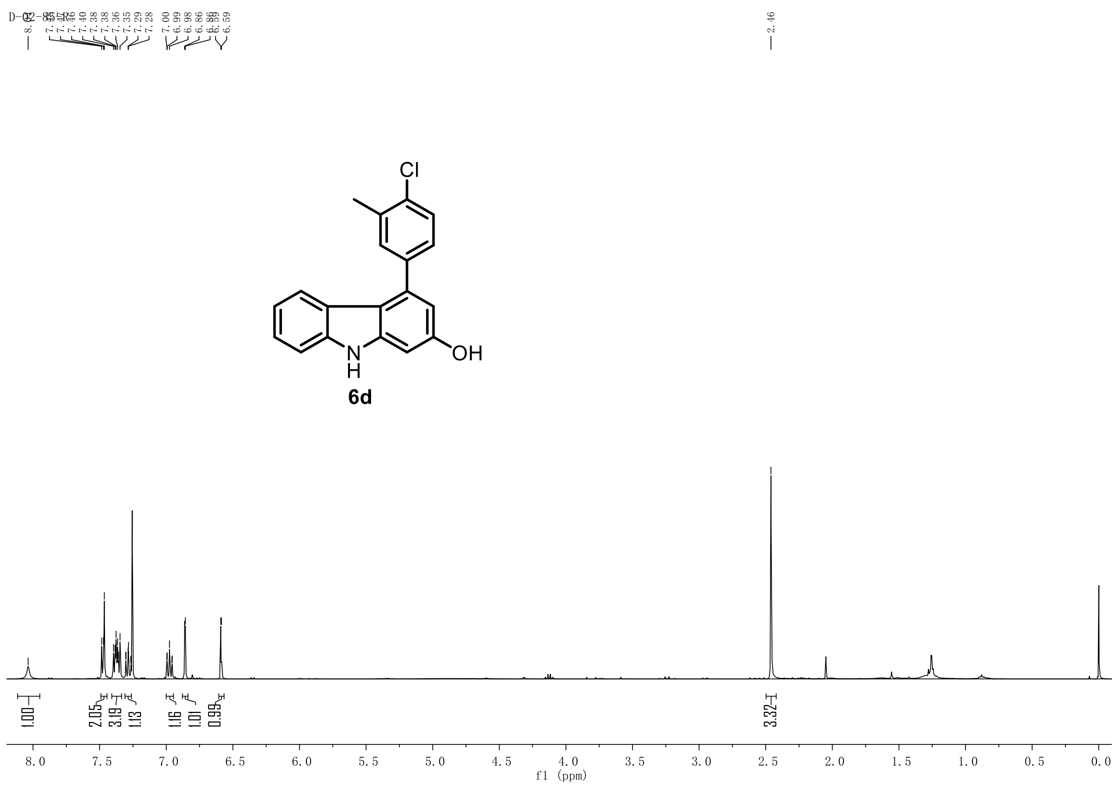

D-02-70R
8.05
7.44
7.43
7.42
7.41
7.35
7.28
7.21
7.19
7.16
7.15
6.97
6.88
6.87
6.65
4.97
3.86
OMe
N
H
OH
6b
1.00
2.17
1.08
0.93
1.11
1.05
1.04
1.09
1.05
1.02
1.10
3.22
f1 (ppm)

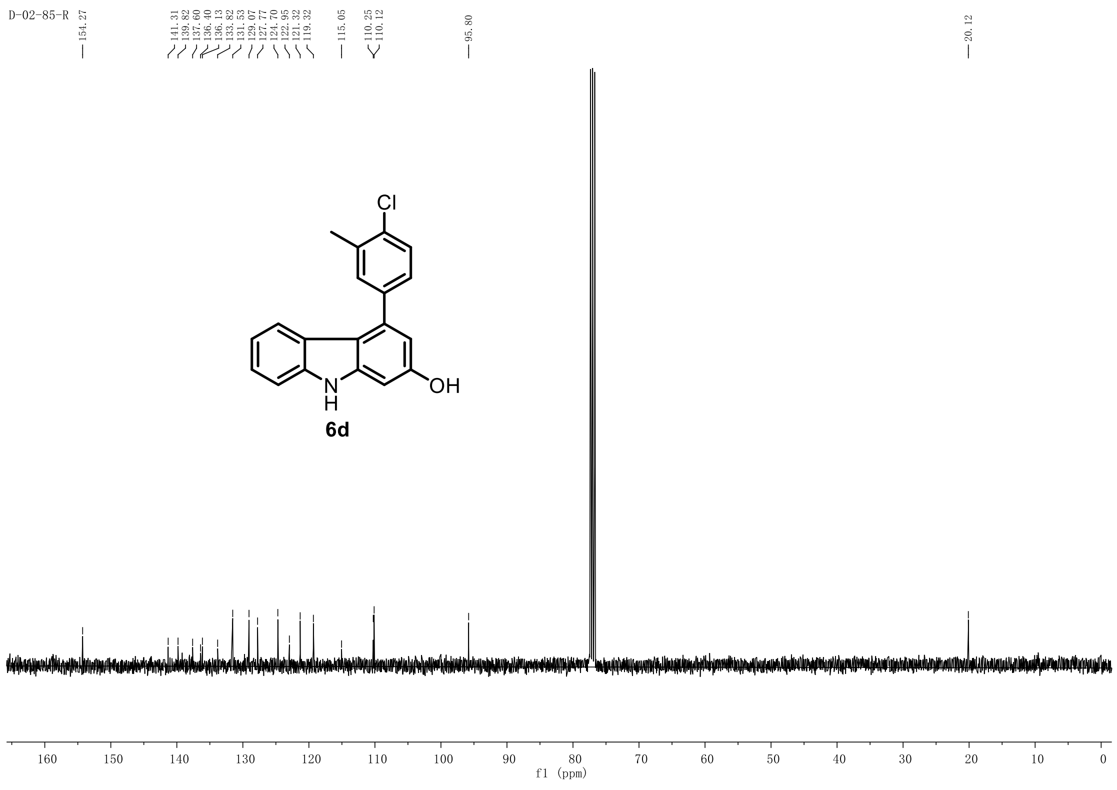

D-02-70R
159.58
154.25
142.04
141.29
139.81
138.60
129.47
124.60
123.06
121.57
121.46
119.24
115.12
114.15
113.76
110.15
110.09
95.67
55.37
OMe
N
H
OH
6b
f1 (ppm)

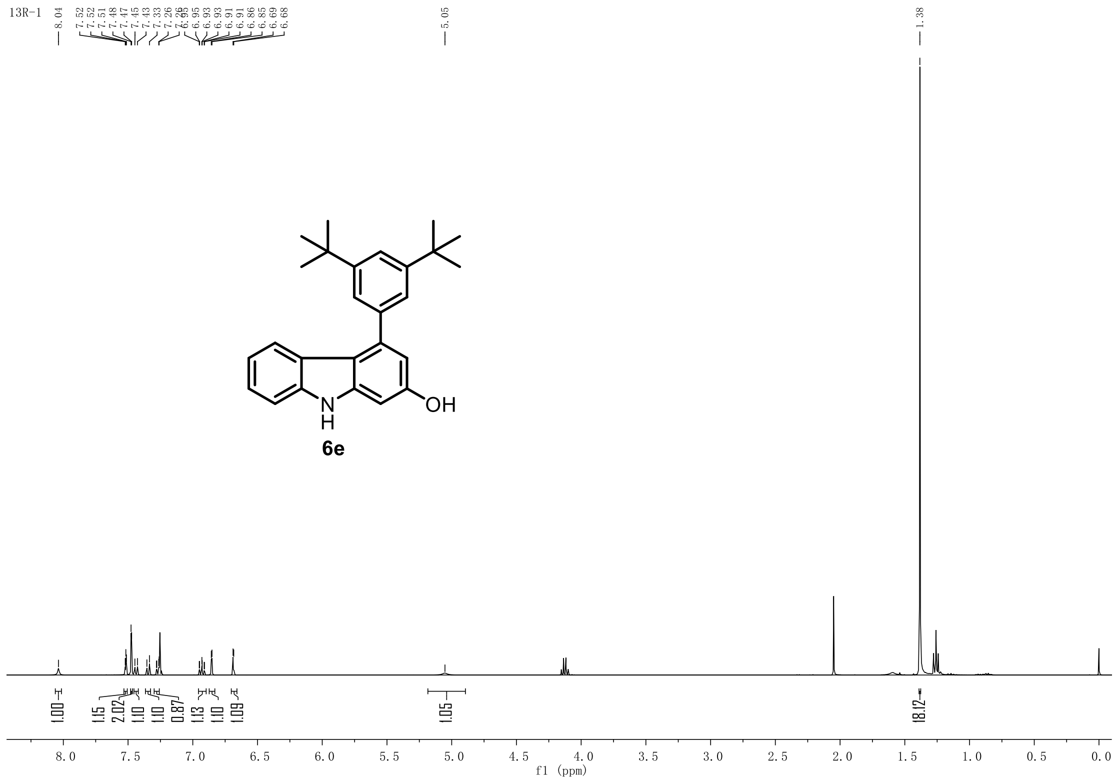

3ome-3-20210612
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 30
8.16
7.55
7.53
7.34
7.31
7.30
7.03
7.01
6.99
6.89
6.85
6.68
4.01
3.86
OMe
MeO
OMe
N
H
OH
6c
1.00
1.03
1.94
1.08
0.95
1.86
0.94
3.00
6.03
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5
f1 (ppm)

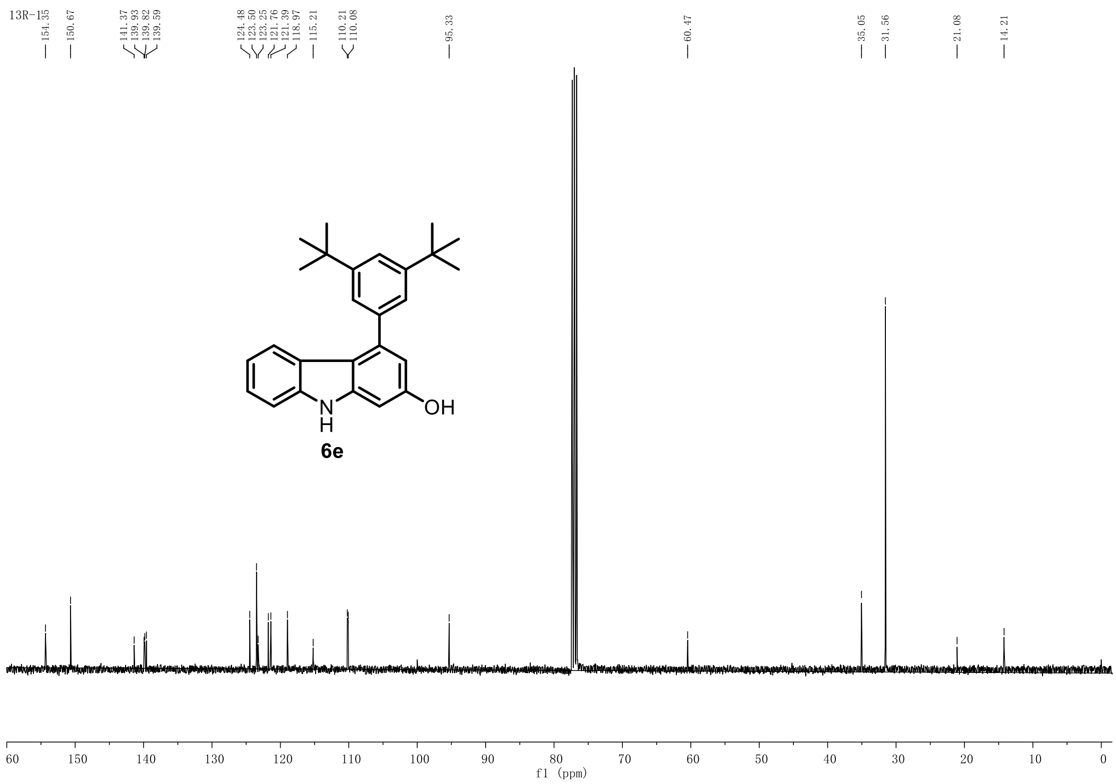

3ome-3-20210612
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 30
154.54
153.11
141.40
139.84
138.49
137.38
137.36
136.44
124.59
122.96
121.50
119.18
110.29
110.06
106.10
95.78
61.14
56.18
OMe
MeO
OMe
N
H
OH
6c
170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

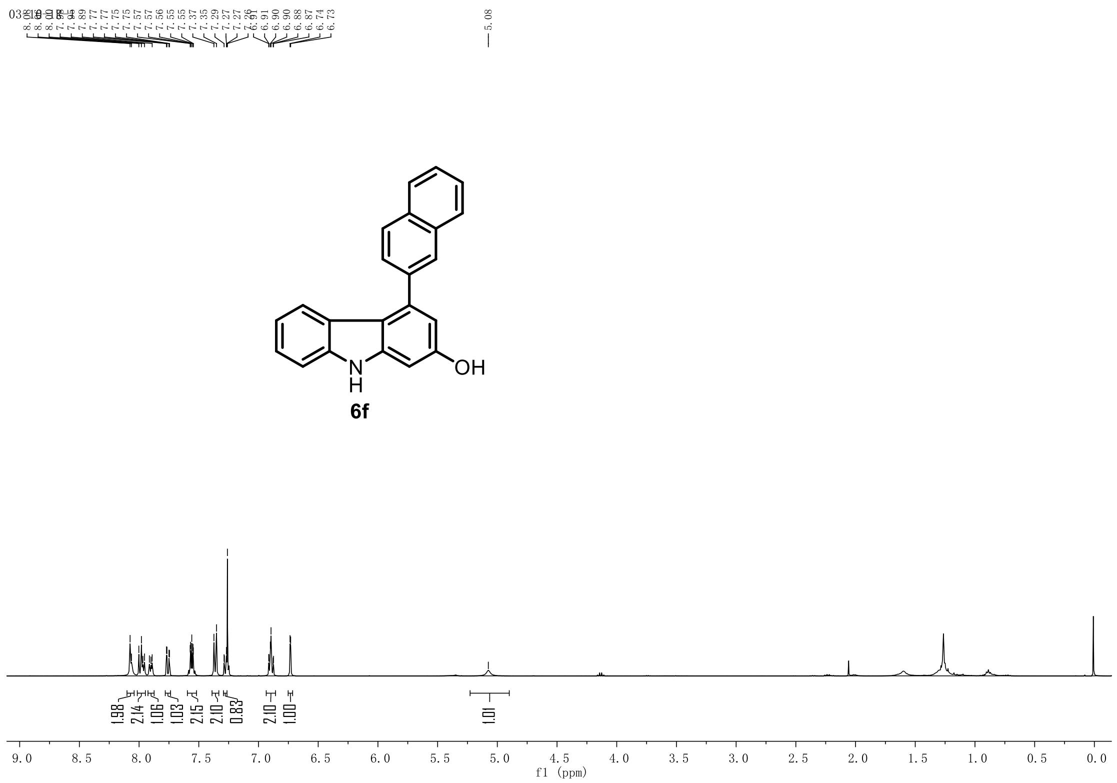
.

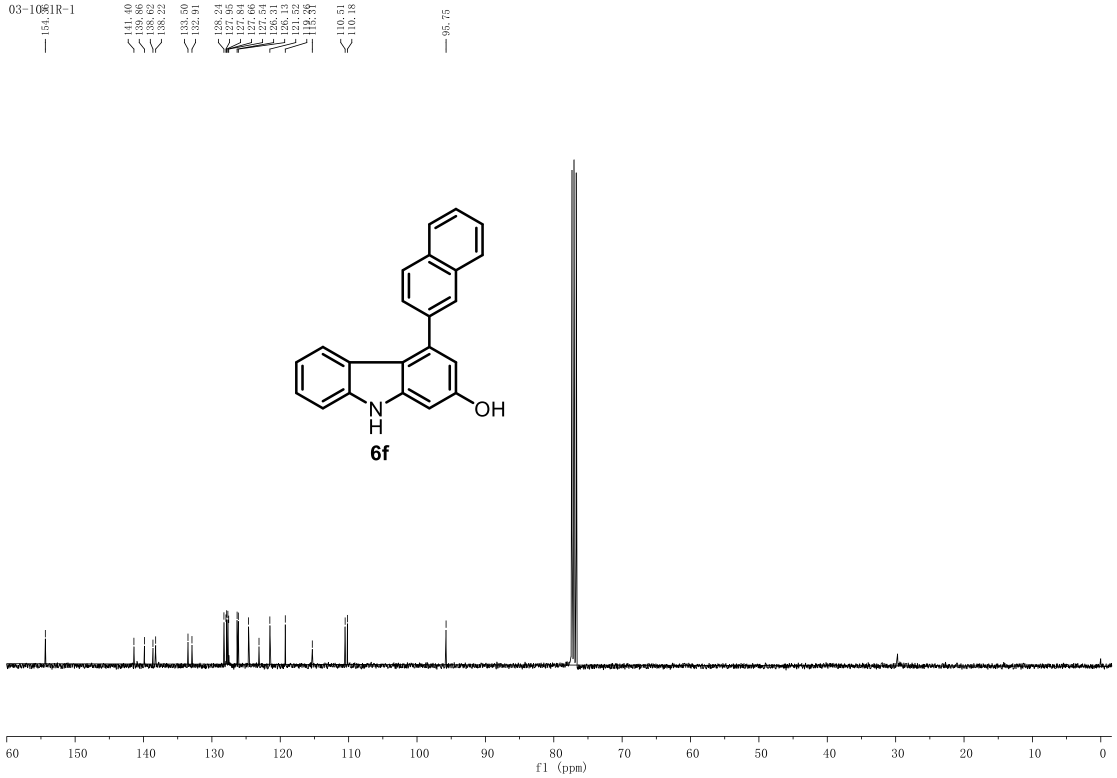

D-02-85
8.05
7.49
7.47
7.46
7.40
7.38
7.38
7.36
7.35
7.29
7.28
7.00
6.99
6.98
6.86
6.59
2.46
Cl
OH
N
H
6d
1.00
2.05
3.19
1.13
1.16
1.01
0.99
3.32
f1 (ppm)

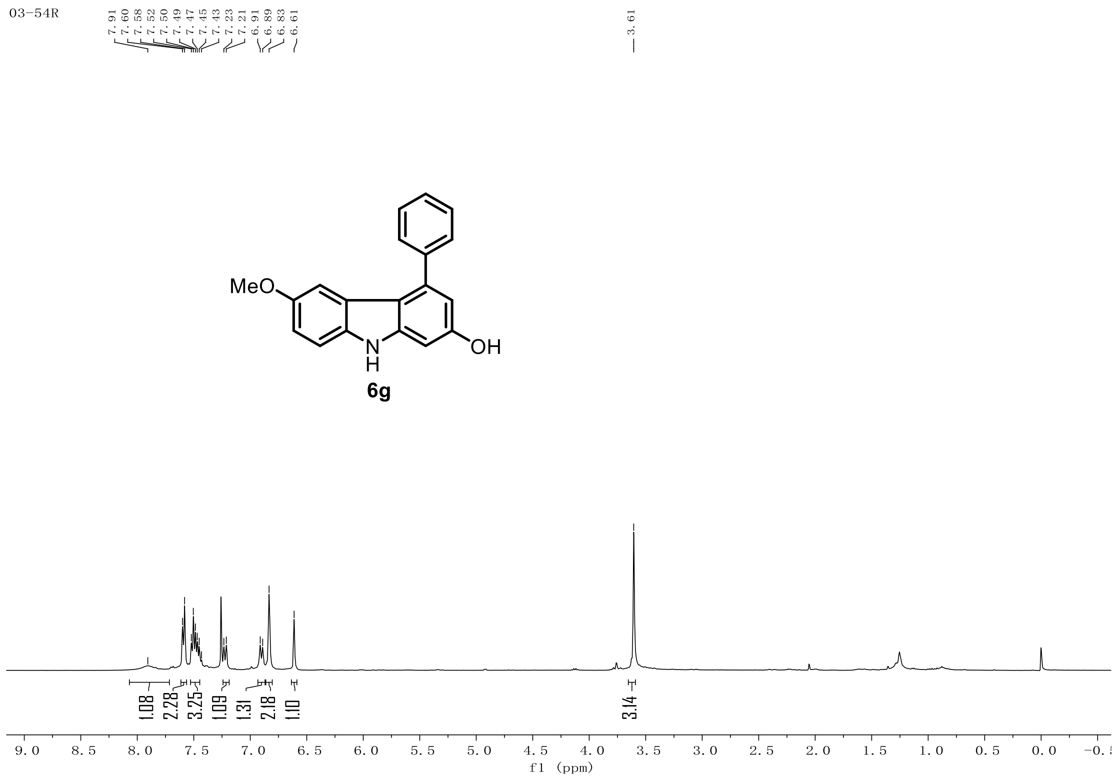

D-02-85-R
154.27
141.31
139.82
137.60
136.40
136.13
133.82
131.53
129.07
127.77
124.70
122.95
121.32
119.32
115.05
110.25
110.12
95.80
20.12
Cl
OH
N
H
6d
f1 (ppm)

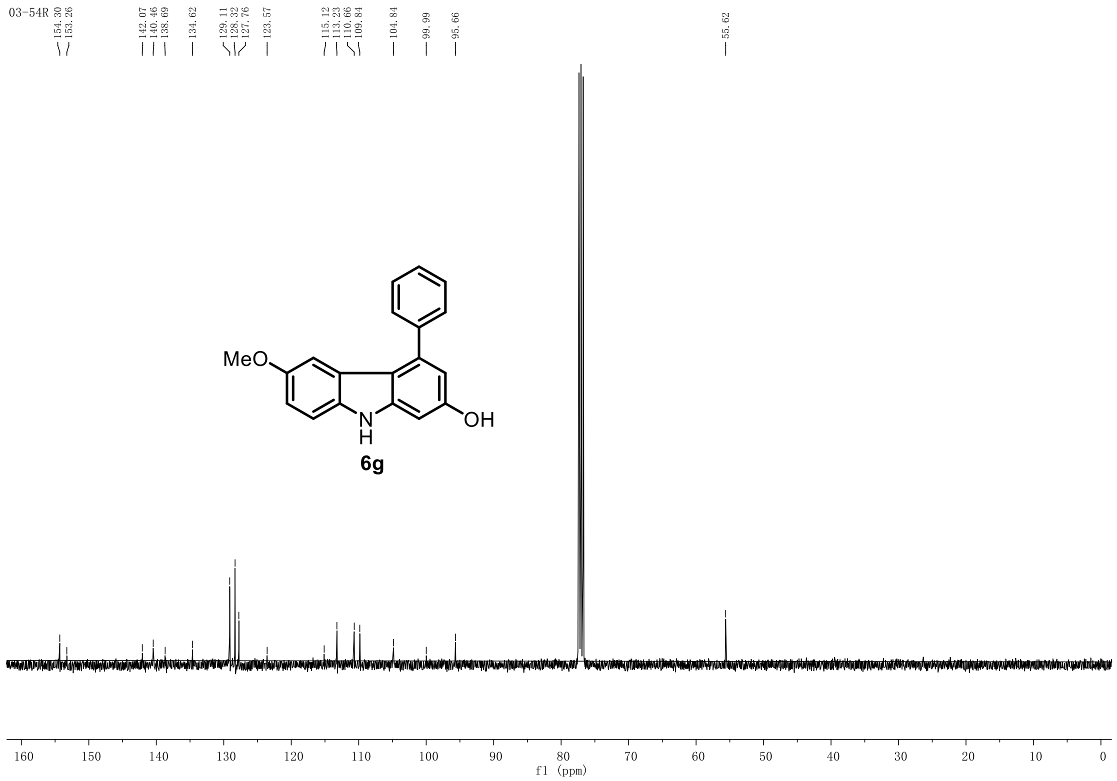

13R-1
8.04
7.52
7.52
7.51
7.48
7.47
7.45
7.43
7.33
7.26
6.95
6.93
6.93
6.91
6.91
6.86
6.85
6.69
6.68
5.05
1.38
6e
1.00
1.15
2.02
1.10
1.10
0.87
1.13
1.10
1.09
1.05
18.12
f1 (ppm)

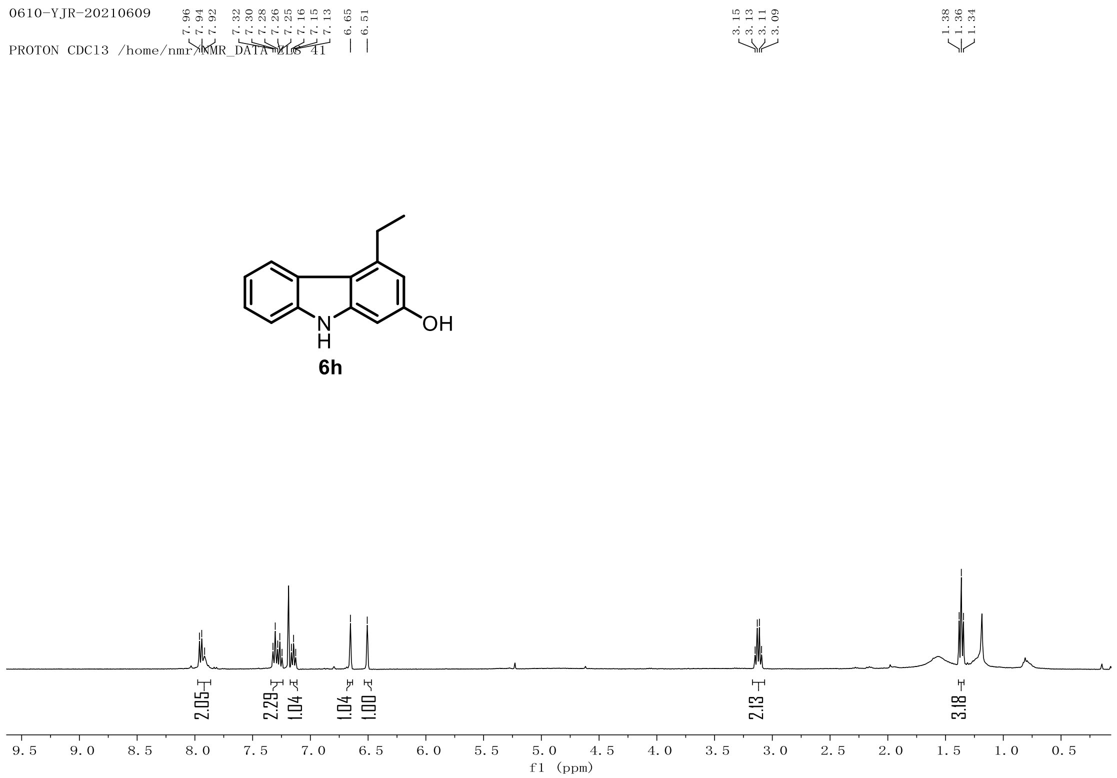

13R-13
154.35
150.67
141.37
139.93
139.82
139.59
124.48
123.50
123.25
121.76
121.39
118.97
115.21
110.21
110.08
95.33
60.47
35.05
31.56
21.08
14.21
6e
f1 (ppm)

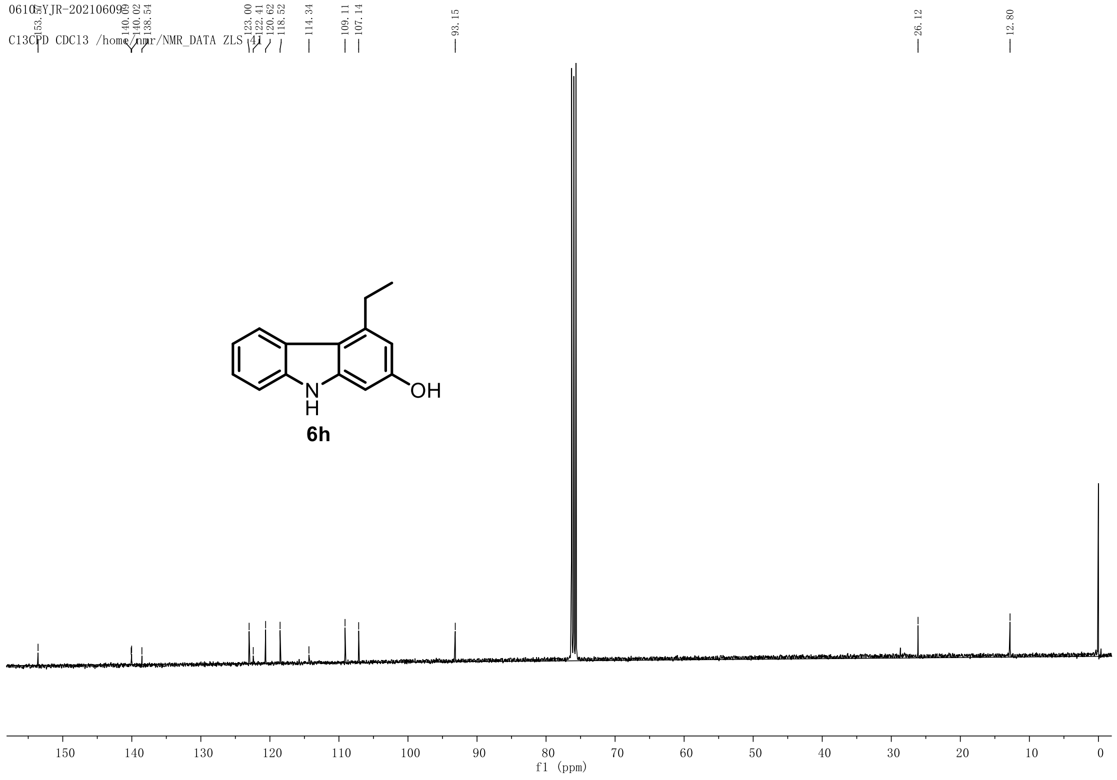


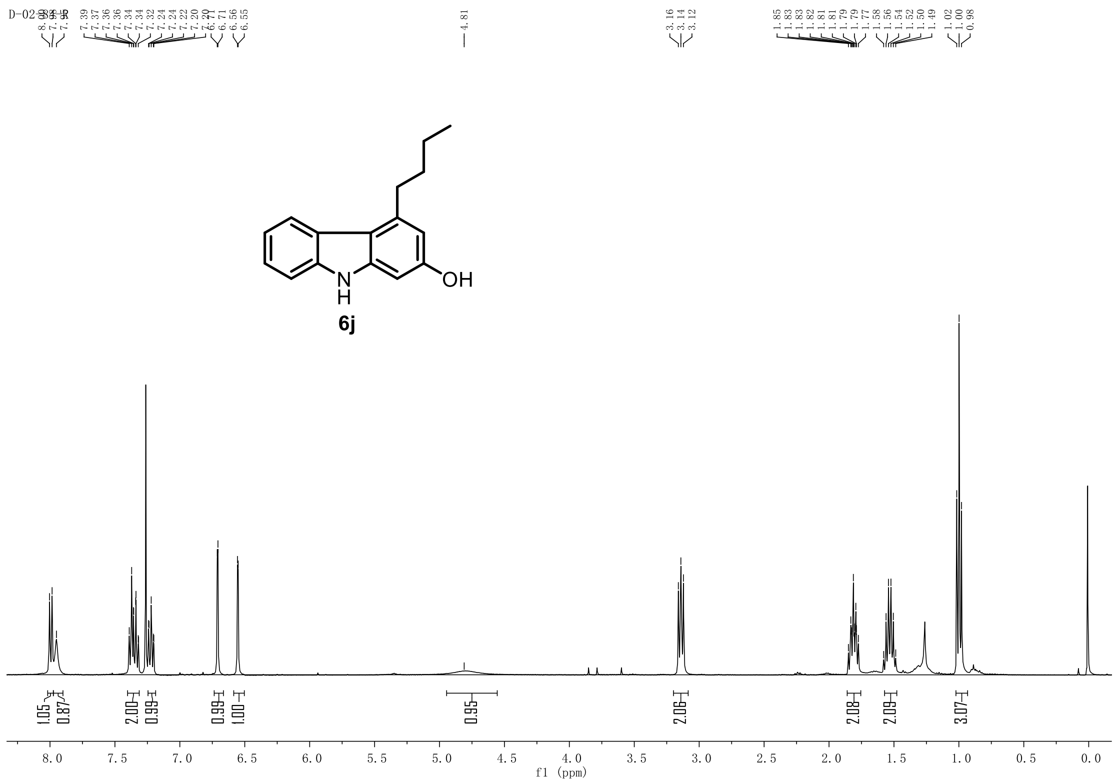


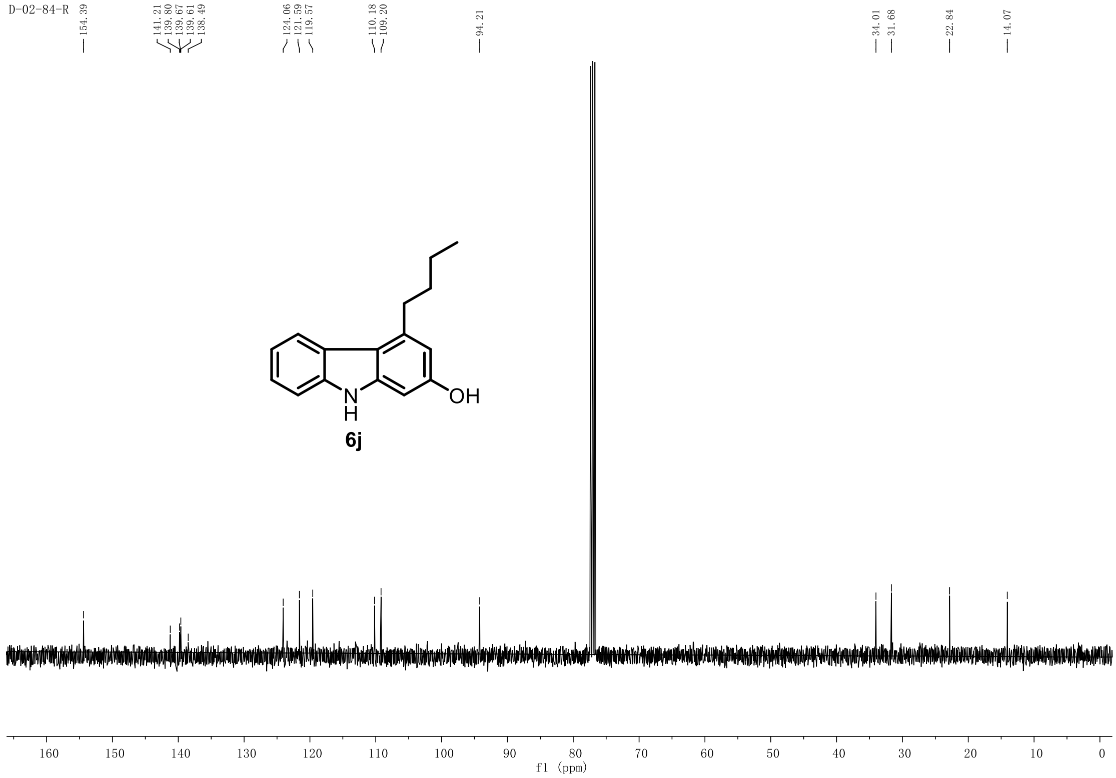

03-54R
7.91
7.60
7.58
7.52
7.50
7.49
7.47
7.45
7.43
7.23
7.21
6.91
6.89
6.83
6.61
3.61
MeO
N
H
OH
6g
1.08
2.28
3.25
1.09
1.31
2.18
1.10
3.14
f1 (ppm)

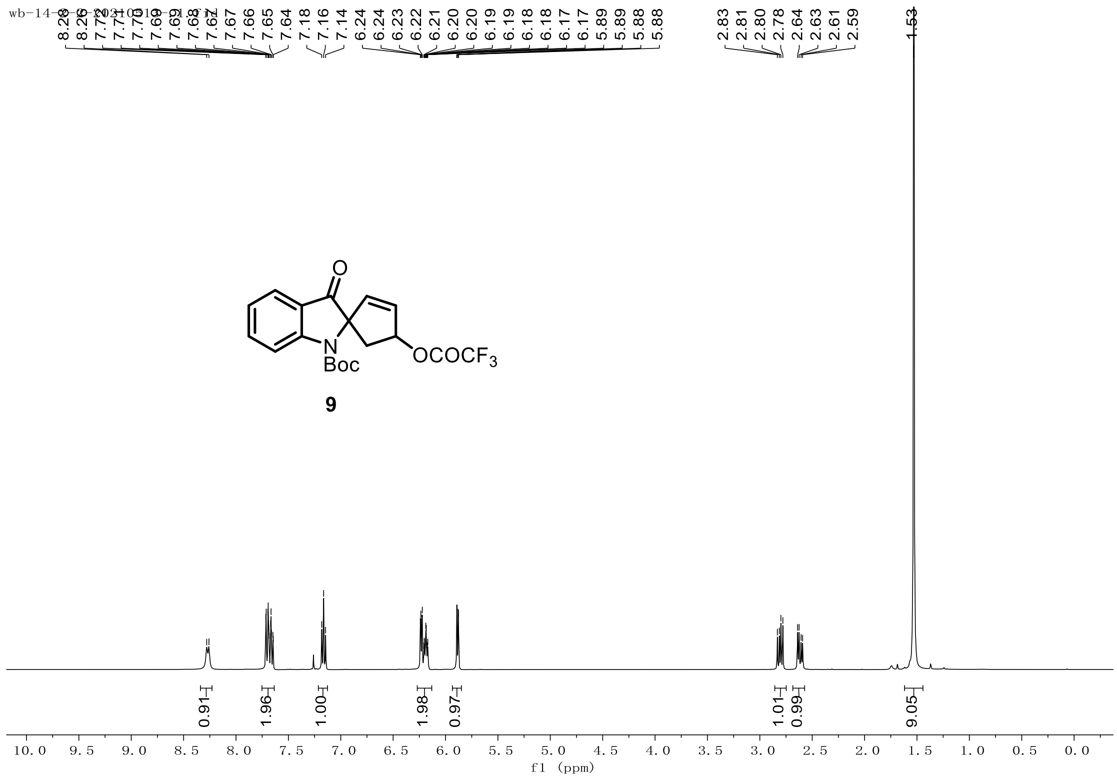

03-54R
154.30
153.26
142.07
140.46
138.69
134.62
129.11
128.32
127.76
123.57
115.12
113.23
110.66
109.84
104.84
99.99
95.66
55.62
MeO
N
H
OH
6g
f1 (ppm)

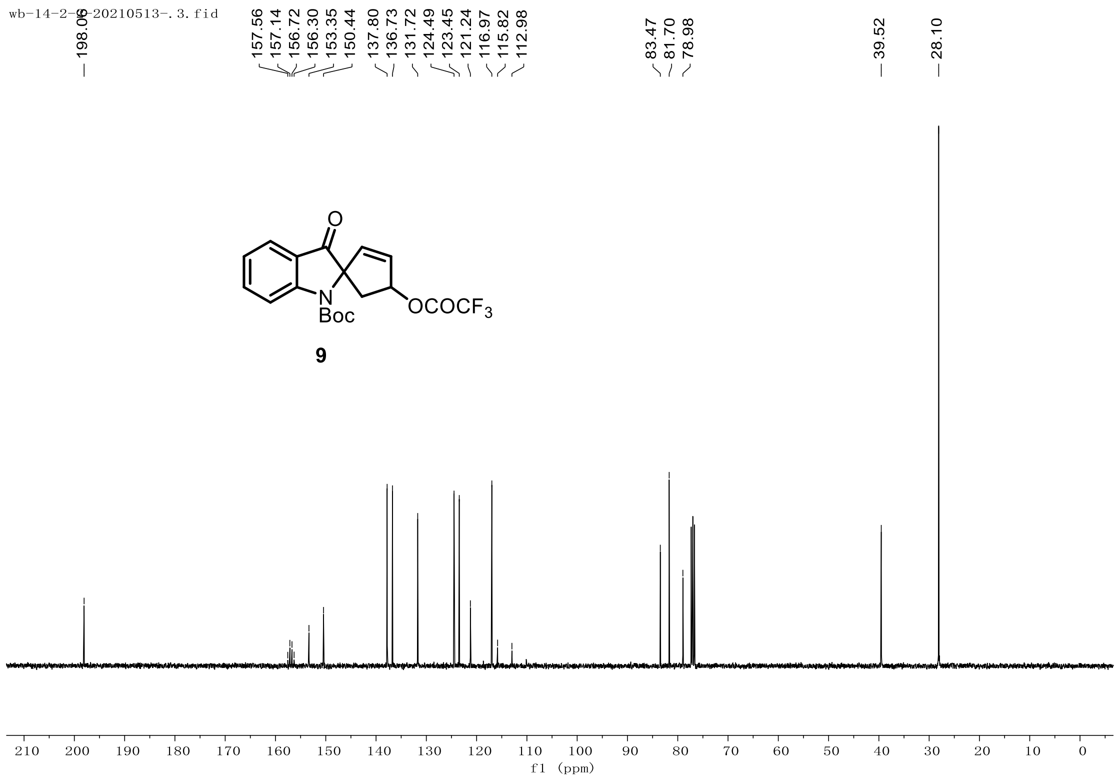

0610-YJR-20210609
PROTON CDCl3 /home/nmr/NMR_DATA ZLS 41
7.96
7.94
7.92
7.32
7.30
7.28
7.26
7.25
7.16
7.15
7.13
6.65
6.51
3.15
3.13
3.11
3.09
1.38
1.36
1.34
OH
N
H
6h
2.05
2.29
1.04
1.04
1.00
2.13
3.18
f1 (ppm)


0610-YJR-20210609
C13CPD CDCl3 /home/nmr/NMR_DATA ZLS 41
140.02
138.54
123.00
122.41
120.62
118.52
114.34
109.11
107.14
93.15
26.12
12.80
OH
N
H
6h
f1 (ppm)

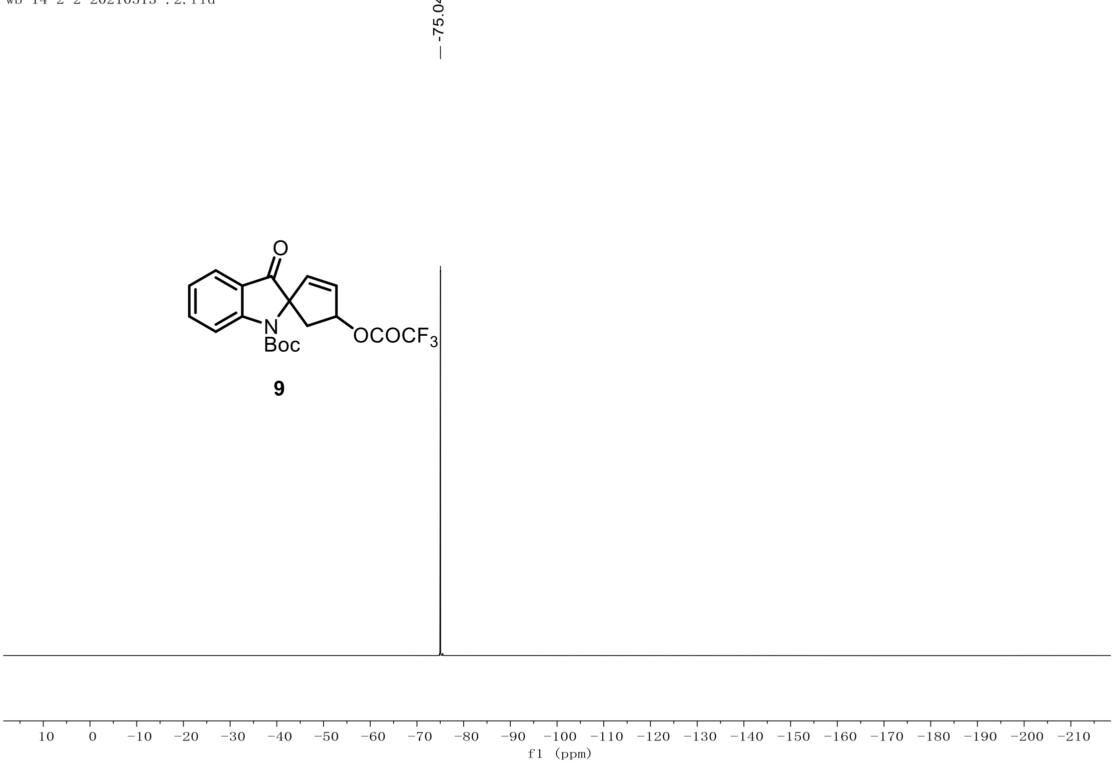

D-02-84-R
7.39
7.37
7.36
7.36
7.34
7.34
7.32
7.24
7.24
7.22
7.20
6.71
6.71
6.56
6.55
4.81
3.16
3.14
3.12
1.85
1.83
1.83
1.82
1.81
1.81
1.79
1.79
1.77
1.58
1.56
1.54
1.52
1.50
1.49
1.02
1.00
0.98
OH
N
H
6j
1.05
0.87
2.00
0.99
0.99
1.00
0.95
2.06
2.08
2.09
3.07
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

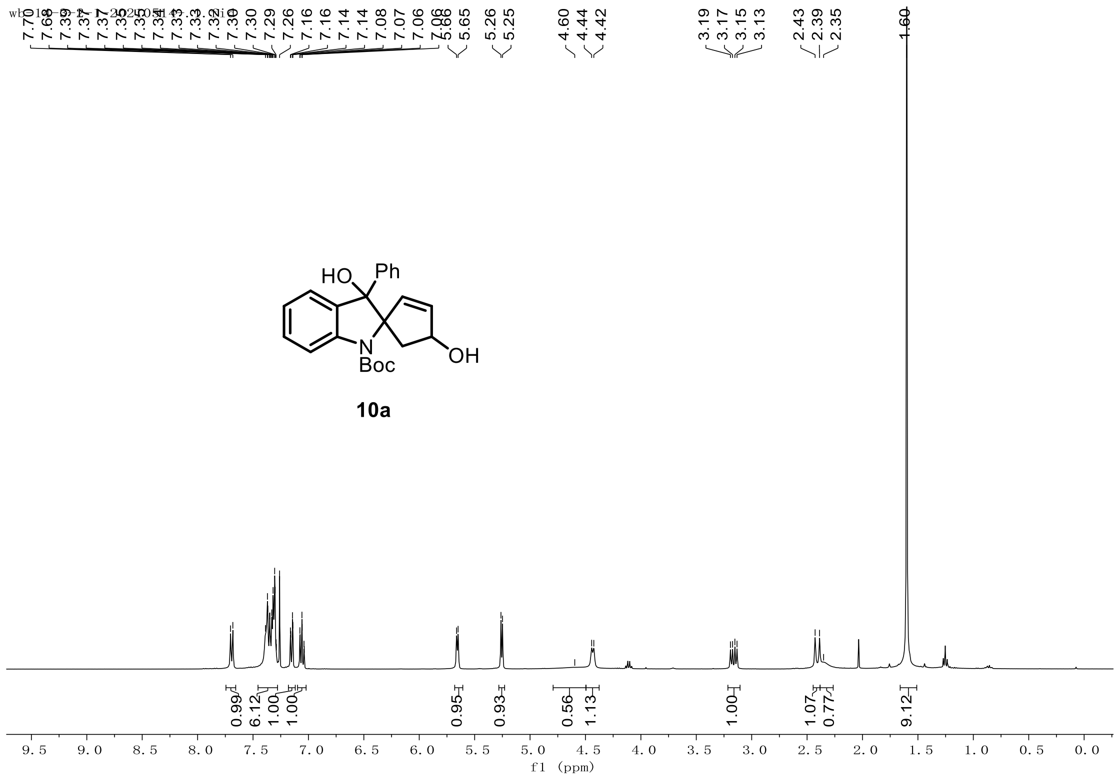

D-02-84-R
154.39
141.21
139.80
139.67
139.61
138.49
124.06
121.59
119.57
110.18
109.20
94.21
34.01
31.68
22.84
14.07
OH
N
H
6j
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

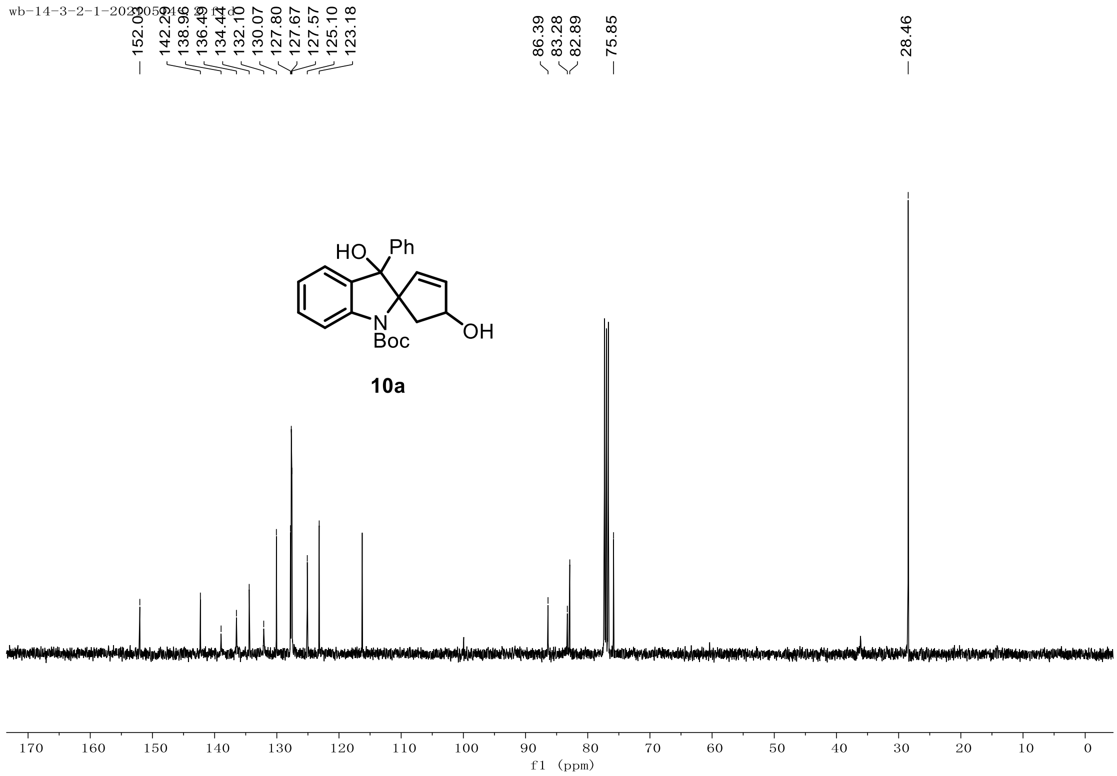

8.28 8.26 7.72 7.71 7.70 7.69 7.69 7.68 7.67 7.67 7.66 7.65 7.64 7.18 7.16 7.14 6.24 6.24 6.23 6.22 6.21 6.20 6.20 6.19 6.19 6.18 6.18 6.17 6.17 5.89 5.89 5.88 5.88
2.83 2.81 2.80 2.78 2.64 2.63 2.61 2.59
1.53
O
N
Boc
OCOCF3
9
0.91 1.96 1.00 1.98 0.97 1.01 0.99 9.05
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


wb-14-2-9-20210513-.3.fid
198.06
157.56 157.14 156.72 156.30 153.35 150.44 137.80 136.73 131.72 124.49 123.45 121.24 116.97 115.82 112.98
83.47 81.70 78.98
39.52
28.10
O
N
Boc
OCOCF3
9
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

wb-14-2-2-20210513-.2.fid




HO
Ph
N
Boc
OH
10a
f1 (ppm)


HO
Ph
N
Boc
OH
10a
f1 (ppm)