Supporting Information

Catalytic Asymmetric Synthesis of (*N*, *N*)-Spiroketal via Pd-Catalyzed Enantioconvergent Aminocarbonylation and Dearomative Nucleophilic Aza-Addition

Lei Su, Shen Gao,* and Jiawang Liu*

Frontiers Science Center for Transformative Molecules, State Key Laboratory of Synergistic Chem-Bio Synthesis, Shanghai Key Laboratory for Molecular Engineering of Chiral Drugs, School of Chemistry and Chemical Engineering, Shanghai Jiao Tong University, Shanghai 200240, China

E-mail: gaoshen2000@sjtu.edu.cn (S.G.), liujw2020@sjtu.edu.cn (J.L.)

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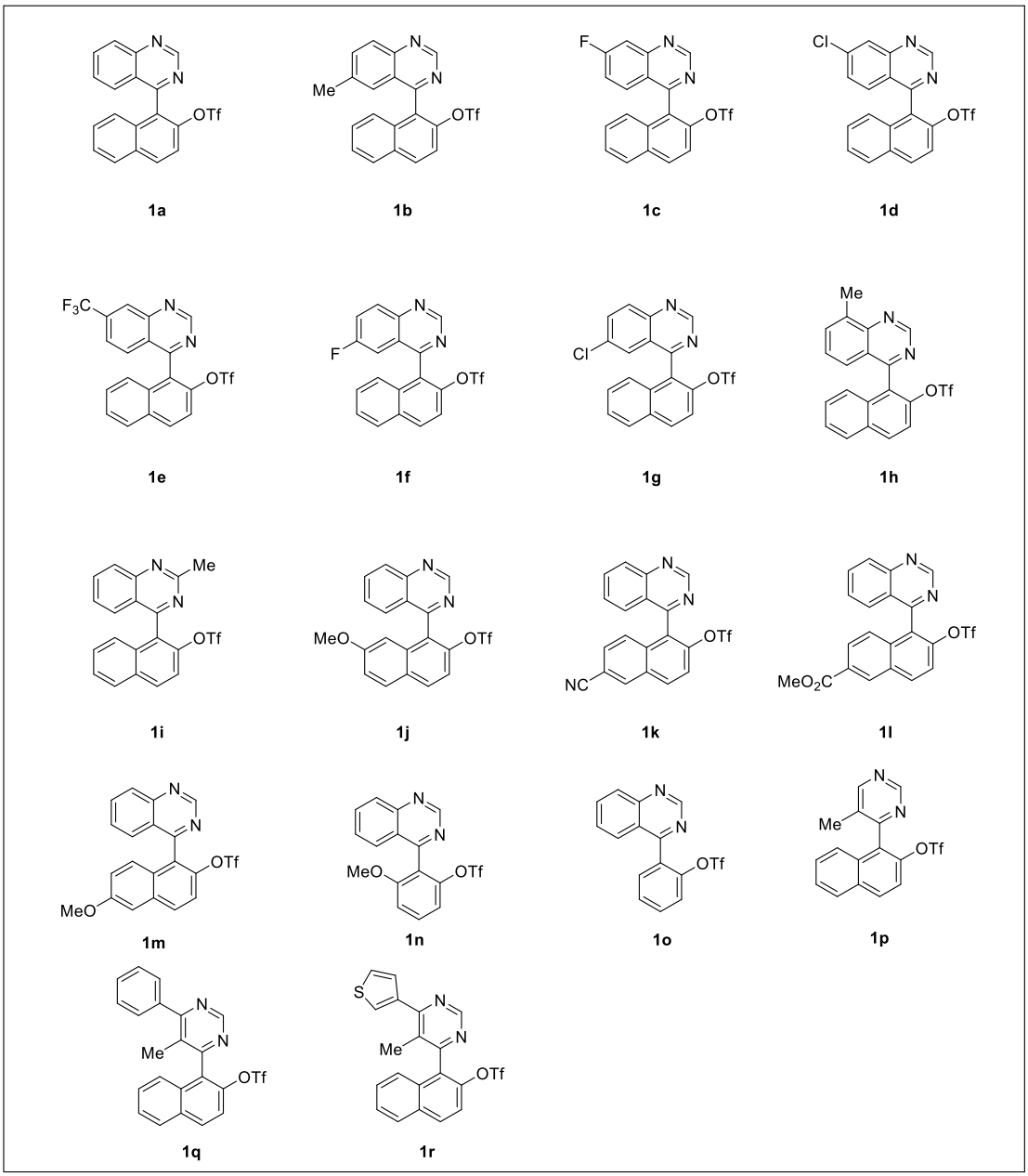
General Information

Unless otherwise noted, all reactions and manipulations were performed using standard Schlenk techniques or in a glovebox. All the chemicals were commercially purchased from Adamas-beta, Energy Chemical, Aladdin, Bide, Daicel Chiral Technologies (China) Co., and directly used without further purification. Anhydrous CH_2Cl_2, DCE, DME, DMF, THF, 1,4-Dioxane, and acetic ether were purchased from Adamas-beta. ^{1}H, ^{13}C, and ^{19}F NMR spectra were recorded on Bruker Avance 500 MHz spectrometer at STP unless otherwise indicated. ^{1}H NMR and ^{13}C NMR chemical shifts were reported in δ units, parts per million (ppm) relative to the chemical shift of residual solvent. Reference peaks for chloroform in ^{1}H NMR and ^{13}C NMR spectra were set at 7.26 ppm and 77.16 ppm, respectively. Multiplicities are reported using the following abbreviations: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; *br,* broad. High-resolution mass spectra (HRMS) were obtained using a Bruker APEXIII 7.0 and IonSpec 4.7 TESLA FTMS. Optical rotations were determined using a Perkin Elmer 341 MC polarimeter. HPLC analyses were performed on a Agilent 7890 liquid chromatograph.

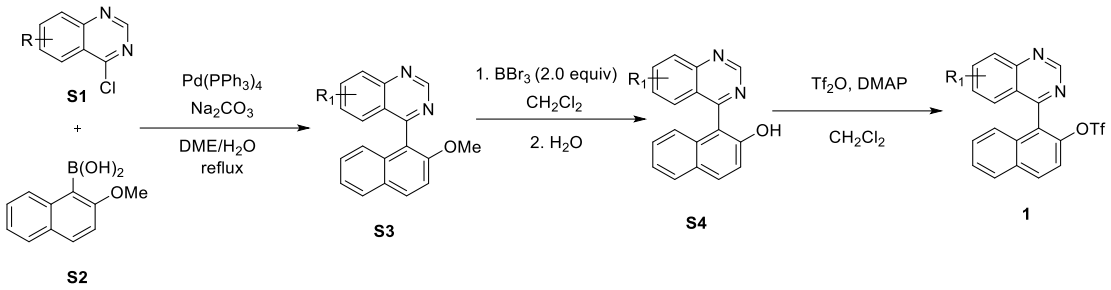
1. Syntheses of substrates

1.1 Syntheses of Heterobiaryl triflates



Compounds **1a**, **1i** [1] are known compounds, and they were synthesized according to the literature procedure. The preparation of new compounds **1b-1h**[1-2], **1n-1r**[1-2], **1j-1m** [3] characterization data are provided as follows.

General procedure I to synthesize heterobiaryl triflates [1-2]**.**



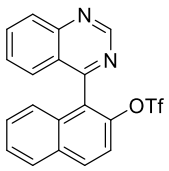
Step-1: 2-Substituted-4-chloroquinazoline (10 mmol), 2-methyloxy-1-naphthyl boronic acid (12 mmol) Na_2CO_3 (24 mmol), tetrakis(triphenylphosphine) palladium (0) (0.5 mmol) were added in a 250 mL Schlenk tube and replace with nitrogen three times. Then DME (30 mL), H_2O (15 mL) were added. And the solution was refluxed under nitrogen for 2d until **S3** was fully consumed as monitored by TLC analysis. The solution was cooled to room temperature, then diluted with EtOAc (20.0 mL) and water (20.0 mL). The aqueous phase was extracted with EtOAc. The combined organic phase was washed with brine, dried over $MgSO_4$ and concentrated *in vacuo*. The residue was purified by flash column chromatography (1:3 EtOAc:hexanes) on silica gel to give the corresponding **S2**.

Step-2: The corresponding **S3** was added to a 100 mL round bottom flask and dissolved with DCM stirred at 0 °C. Boron tribromide was added slowly via syringe, and the reaction was stirred overnight (16 h) under an atmosphere of nitrogen. Because of the light-sensitive nature of boron tribromide, the reaction vessel was covered with aluminum foil for the duration of the reaction. Distilled water was added slowly to the solution at 0 °C. An orange precipitate was formed after 15 min and was allowed to stir for an additional 1h. The aqueous phase was extracted with DCM for three times. The combined organic phase was washed with brine, dried over $MgSO_4$ and concentrated *in vacuo*. **S4** was isolated as a light orange powder and was used for next step without purification.

Step-3: To a solution of **S4** and DMAP (2.0 equiv.) in CH_2Cl_2 was added Tf_2O (1.2 equiv.) dropwise at 0 °C. The reaction mixture was stirred at 25 °C until **S4** was fully consumed as monitored by TLC analysis. The reaction mixture was diluted with CH_2Cl_2 and Na_2CO_3 aq. The aqueous phase was extracted with CH_2Cl_2. The combined organic phase was washed with brine, dried over $MgSO_4$

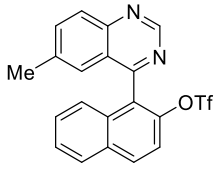
and concentrated *in vacuo*. The residue was purified by flash column chromatography (1:20 EtOAc: hexanes) on silica gel to give the corresponding triflate substrates.

1-(quinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1a) [1]**.**



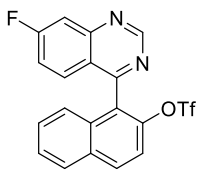
From 4-chloroquinazoline (10 mmol, 1.82 g), following the General procedure I described above, compound **1a** (7.2 mmol, 2.9 g) was obtained in 72% yield as a white solid; **^{1}H NMR (400 MHz, Chloroform-*d*)**: δ 9.56 (s, 1H), 8.23-8.22 (m, 1H), 8.16-8.14 (m, 1H), 8.03-8.01 (m, 1H), 7.98-7.93 (m, 1H), 7.63-7.57 (m, 2H), 7.55-7.50 (m, 1H), 7.48-7.43 (m, 2H), 7.28-7.26 (m, 1H) ppm. **^{19}F NMR (376 MHz, Chloroform-*d*)**: δ -74.31 ppm. **^{13}C NMR (100 MHz, Chloroform-*d*)**: *δ* 163.4, 155.0, 150.8, 144.7, 134.7, 132.5, 132.4, 132.3, 129.2, 128.6, 128.5, 127.6, 127.0, 126.6, 126.1, 125.1, 122.0, 119.6, 119.5, 116.9, 114.4 ppm.

1-(6-methylquinazolin-4-yl) naphthalen-2-yl trifluoromethanesulfonate (1b).



From 4-chloro-6-methylquinazoline (10 mmol, 1.78 g), following the General procedure I described above, compound **1b** (5.0 mmol, 2.1 g) was obtained in 50% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.50 (s, 1H), 8.22-8.06 (m, 2H), 8.06-7.96 (m, 1H), 7.84-7.74 (m, 1H), 7.68-7.56 (m, 2H), 7.49-7.41 (m, 1H), 7.31-7.23 (m, 1H), 7.20 (s, 1H), 2.39 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.23 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 162.5, 154.2, 149.4, 144.7, 139.0, 137.1, 132.5, 132.4, 132.2, 128.8, 128.6, 128.5, 127.6, 127.1, 126.1, 125.1, 124.9, 122.0, 119.5, 117.0, 21.9 ppm. **HRMS** (ESI) m/z calculated for $C_{20}H_{13}F_3N_2O_2SNa^+$ $[M+Na]^+$: 441.0497, found 441.0493.

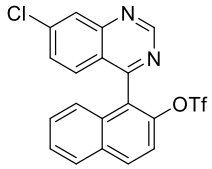
1-(7-fluoroquinazolin-4-yl) naphthalen-2-yl trifluoromethanesulfonate (1c).



From 4-chloro-7-fluoroquinazoline (10 mmol, 1.82 g), following the General procedure I described above, compound **1c** (4.0 mmol, 1.7 g) was obtained in 40% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.55 (s, 1H), 8.19-8.17 (m, 1H), 8.05 (d, *J* = 8.5 Hz, 1H), 7.88-7.81 (m, 1H), 7.68-7.60 (m, 2H), 7.56-7.45 (m, 2H), 7.36-7.24 (m, 2H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.27, -100.08 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.0, 165.0, 163.2, 155.9, 152.5, 152.4, 144.6, 132.5, 132.5, 132.3, 129.6, 129.5, 128.6, 127.7, 126.7, 125.8, 122.4, 122.0, 119.6, 119.5,

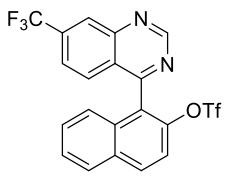
119.4, 119.2, 116.9, 114.4, 113.0, 112.9 ppm. **HRMS** (ESI) m/z calculated for $C_{19}H_{10}F_4N_2O_3SNa^+$ $[M+Na]^+$: 445.0246, found 445.0241.

1-(7-chloroquinazolin-4-yl) naphthalen-2-yl trifluoromethanesulfonate (1d).



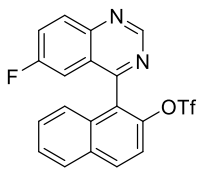
From 4,7-dichloroquinazoline (10 mmol, 1.97 g), following the General procedure I described above, compound **1d** (4.3 mmol, 1.1 g) was obtained in 53% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.55 (s, 1H), 8.21 (d, *J* = 1.5 Hz, 1H), 8.16 (d, *J* = 9.0 Hz, 1H), 8.05-8.00 (m, 1H), 7.68-7.55 (m, 2H), 7.51-7.43 (m, 2H), 7.42-7.38 (m, 1H), 7.27-7.21 (m, 1H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.23 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 163.4, 155.9, 151.3, 144.7, 141.1, 132.6, 132.5, 132.3, 129.8, 128.7, 128.2, 128.0, 127.7, 126.6, 125.9, 123.5, 122.0, 119.6, 119.5, 117.0, 114.4 ppm. **HRMS** (ESI) m/z calculated for $C_{19}H_{10}F_3N_2O_3SClNa^+$ $[M+Na]^+$: 460.9950, found 460.9941.

1-(7-chloroquinazolin-4-yl) naphthalen-2-yl trifluoromethanesulfonate (1e).



From 4-chloro-7-(trifluoromethyl) quinazoline (3 mmol, 0.50 g), following the General procedure I described above, compound **1e** (1.2 mmol, 0.5 g) was obtained in 47% yield as a yellow oil; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.67 (s, 1H), 8.54 (s, 1H), 8.16 (d, *J* = 9.0 Hz, 1H), 8.02 (d, *J* =8.5 Hz, 1H), 7.70-7.68 (m, 1H), 7.64-7.58 (m, 3H), 7.47-7.44 (m, 1H), 7.24 (d, *J* =8.5 Hz, 1H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -64.37, -74.37 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 164.0, 156.0, 150.2, 144.7, 135.9 (q, *J* = 33.4 Hz), 132.7, 132.5, 132.2, 128.7, 128.7, 128.1, 127.7, 127.2 (q, *J* = 4.3 Hz), 126.3, 126.2, 125.7, 124.3, 124.1 (q, *J* = 3.0 Hz), 122.2, 116.9, 119.5, 114.4 ppm. **HRMS** (ESI) m/z calculated for $C_{20}H_{21}F_6N_2O_3S^+$ $[M+H]^+$: 473.0389, found 473.0388.

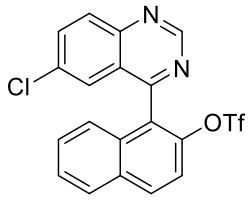
1-(6-fluoroquinazolin-4-yl) naphthalen-2-yl trifluoromethanesulfonate (1f).



From 4-chloro-6-fluoroquinazoline (10 mmol, 1.82 g), following the General procedure I described above, compound **1f** (5.6 mmol, 2.4 g) was obtained in 56% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.55 (s, 1H), 8.26-8.23 (m, 1H), 8.18 (d, *J* = 9.0 Hz, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.78-7.70 (m, 1H), 7.66-7.58 (m, 2H), 7.51-7.44 (m, 1H), 7.28-7.25 (m, 1H), 7.07-7.02 (m, 1H) ppm. **^{19}F**

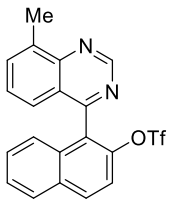
NMR (471 MHz, Chloroform-*d*) δ -74.24, -107.90 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 163.0, 162.9, 162.0, 159.9, 154.5, 154.5, 148.0, 144.7, 132.6, 132.5, 132.1, 132.1, 132.0, 128.7, 128.7, 127.7, 126.5, 125.8, 125.7, 125.4, 125.2, 122.0, 119.6, 119.5, 117.0, 114.4, 109.9, 109.7 ppm. **HRMS** (ESI) m/z calculated for $C_{19}H_{10}F_4N_2O_3SNa^+$ $[M+Na]^+$: 445.0246, found 445.0241.

1-(6-chloroquinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate(1g).



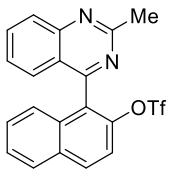
From 4,6-dichloroquinazoline (10 mmol, 1.97 g), following the General procedure I described above, compound **1g** (5.5 mmol, 2.4 g) was obtained in 55% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.56 (s, 1H), 8.21-8.12 (m, 2H), 8.04 (d, *J* = 8.5 Hz, 1H), 7.93-7.85 (m, 1H), 7.67-7.58 (m, 2H), 7.52-7.45 (m, 1H), 7.44-7.40 (m, 1H), 7.28-7.23 (m, 1H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.19 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 162.1, 155.1, 149.3, 144.7, 135.8, 134.4, 132.7, 132.6, 132.2, 131.0, 128.7, 128.7, 127.8, 126.3, 125.8, 125.6, 125.1, 122.1, 119.6, 119.5, 117.0, 114.4 ppm. **HRMS** (ESI) m/z calculated for $C_{19}H_{10}F_3N_2O_3SClNa^+$ $[M+Na]^+$: 460.9950, found 460.9949.

1-(8-methylquinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1h).



From 4-chloro-8-methylquinazoline (3 mmol, 0.50 g), following the General procedure I described above, compound **1h** (1.7 mmol, 0.7 g) was obtained in 58% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.56 (s, 1H), 8.13 (d, *J* = 9.0 Hz, 1H), 8.00 (d, *J* = 8.0 Hz, 1H), 7.79-7.78 (m, 1H), 7.62-7.57 (m, 2H), 7.44-7.38 (m, 2H), 7.29-7.23 (m, 2H), 2.89 (s, 3H) ppm.**^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.28 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 163.4, 154.0, 149.9, 144.7, 137.5, 134.6, 132.5, 132.1, 129.2 (d, *J* = 11.0 Hz), 128.5, 128.4, 128.1, 127.5, 127.4, 126.1, 125.0, 124.3, 119.5, 117.0, 17.6 ppm. **HRMS** (ESI) m/z calculated for $C_{20}H_{14}F_3N_2O_3S^+$ $[M+H]^+$: 419.0672, found 419.0676.

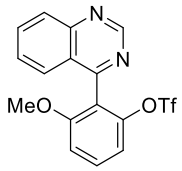
1-(2-methylquinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1i) [1].



From 4-chloro-2-methylquinazoline (3 mmol, 0.53 g), following the General procedure I described above, compound **1i** (1.7 mmol, 0.71 g) was obtained in 58% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.14-8.10 (m, 2H), 8.02-8.00 (m, 1H), 7.92-7.89 (m, 1H), 7.61-7.58 (m, 2H), 7.46-7.42 (m, 2H), 7.40-

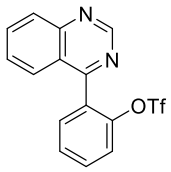
7.38 (m, 1H), 7.28-7.26 (m, 1H), 3.01 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.39 ppm.

1-(5-methyl-6-(thiophen-3-yl) pyrimidin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1n).



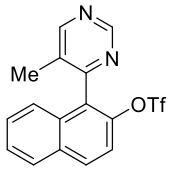
From 4-chloroquinazoline (3 mmol, 0.50 g), following the General procedure I described above, compound **1n** (1.7 mmol, 0.6 g) was obtained in 57% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.47 (s, 1H), 8.12 (d, *J* = 8.5 Hz, 1H), 7.92-7.88 (m, 1H), 7.64-7.55 (m, 3H), 7.15-7.09 (m, 2H), 3.69 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.44 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 161.9, 158.5, 154.6, 150.4, 147.6, 134.1, 131.7, 128.7, 127.9, 126.5, 124.7, 121.9, 119.9, 119.3, 116.8, 114.2, 113.9, 111.0, 56.2 ppm. **HRMS** (ESI) m/z calculated for $C_{16}H_{11}F_3N_2O_4S^+$ $[M+H]^+$: 385.0464, found 385.0464.

2-(quinazolin-4-yl)phenyl trifluoromethanesulfonate (1o).



From 4-chloroquinazoline (3 mmol, 0.50 g), following the General procedure I described above, compound **1o** (1.5 mmol, 0.5 g) was obtained in 50% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.44 (s, 1H), 8.15 (d, *J* = 8.5 Hz, 1H), 7.96-7.93 (m, 1H), 7.76 (d, *J* = 8.5 Hz, 1H), 7.68-7.52 (m, 5H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.14 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 163.8, 154.6, 151.0, 147.0, 134.4, 132.4, 131.8, 130.8, 129.1, 128.6, 128.4, 126.4, 123.7, 122.6, 122.1, 119.5, 117.0, 114.4 ppm. **HRMS** (ESI) m/z calculated for $C_{15}H_9F_3N_2O_3S^+$ $[M+H]^+$: 355.0359, found 355.0362.

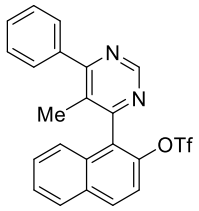
1-(5-methylpyrimidin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1p).



From 4-chloro-5-methylpyrimidine (3 mmol, 0.40 g), following the General procedure I described above, compound **1p** (1.7 mmol, 0.6 g) was obtained in 55% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.17 (s, 1H), 8.69 (s, 1H), 7.95-7.85 (m, 2H), 7.50-7.40 (m, 3H), 7.24-7.22 (m, 1H), 1.98 (s, 3H). **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.27. **^{13}C NMR (126 MHz, Chloroform-*d*)** δ 160.2, 158.6, 156.7, 143.9, 132.5, 131.9, 131.8, 131.3, 128.7, 128.5, 127.7, 127.5, 125.3, 122.1, 119.6, 119.4,

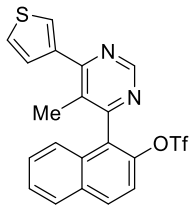
117.0, 114.5, 15.6. **HRMS** (ESI) m/z calculated for $C_{16}H_{11}F_3N_2O_3S^+$ $[M+H]^+$: 369.0515, found 369.0517.

1-(5-methyl-6-phenylpyrimidin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1q).



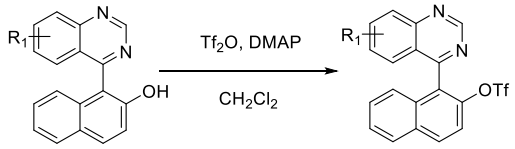
From 4-chloro-5-methyl-6-phenylpyrimidine (3 mmol, 0.60 g), following the General procedure I described above, compound **1q** (1.7 mmol, 0.7 g) was obtained in 56% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.33 (s, 1H), 8.06 (d, *J* =9.0 Hz, 1H), 7.98 (d, *J* =7.8 Hz, 1H), 7.70-7.67 (m, 2H), 7.63-7.48 (m, 7H), 2.12 (s, 3H). **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.26. **^{13}C NMR (126 MHz, Chloroform-*d*)** δ 167.2, 161.4, 156.3, 144.0, 138.1, 132.6, 131.8, 131.5, 129.6, 129.2, 129.1, 128.7, 128.7, 128.6, 128.5, 127.5, 125.6, 122.2, 119.7, 119.6, 117.1, 114.6, 16.3. **HRMS** (ESI) m/z calculated for $C_{22}H_{15}F_3N_2O_3S^+$ $[M+H]^+$: 445.0828, found 445.0827.

1-(5-methyl-6-(thiophen-3-yl) pyrimidin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1r).



From 4-chloro-5-methyl-6-(thiophen-3-yl) pyrimidine (3 mmol, 0.60 g), following the General procedure I described above, compound **1r** (0.6 mmol, 0.3 g) was obtained in 21% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.17 (s, 1H), 8.69 (s, 1H), 7.95-7.85 (m, 2H), 7.50-7.40 (m, 3H), 7.24-7.22 (m, 1H), 1.98 (s, 3H). **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.27. **^{13}C NMR (126 MHz, Chloroform-*d*)** δ 160.2, 158.6, 156.7, 143.9, 132.5, 131.9, 131.8, 131.3, 128.7, 128.5, 127.7, 127.5, 125.3, 122.1, 119.6, 119.4, 117.0, 114.5, 15.6. **HRMS** (ESI) m/z calculated for $C_{20}H_{14}F_3N_2O_3S_2^+$ $[M+H]^+$: 451.0392, found 451.0394.

General procedure II to synthesize heterobiaryl triflates [1,3].

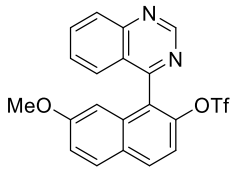


S4 **1**

To a solution of **S4** and DMAP (2.0 equiv.) in CH_2Cl_2 was added Tf_2O (1.2 equiv.) dropwise at 0 °C. The reaction mixture was stirred at 25 °C until **S4** was fully consumed as monitored by TLC analysis. The reaction mixture was diluted with CH_2Cl_2 and Na_2CO_3 aq. The aqueous phase was extracted with CH_2Cl_2. The combined organic phase was washed with brine, dried over $MgSO_4$ and

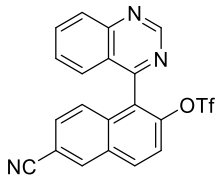
concentrated *in vacuo*. The residue was purified by flash column chromatography (1:20 EtOAc: hexanes) on silica gel to give the corresponding triflate substrates.

7-methoxy-1-(quinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1j).



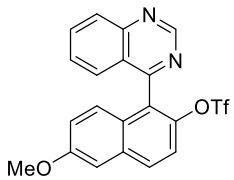
From 7-methoxy-1-(quinazolin-4-yl)naphthalen-2-ol (2 mmol, 0.60 g), following the General procedure II described above, compound **1j** (1.6 mmol, 0.7 g) was obtained in 79% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.57 (s, 1H), 8.22-8.20 (m, 1H), 8.04 (d, *J* = 9.0 Hz, 1H), 7.97-7.94 (m, 1H), 7.89 (d, *J* = 9.0 Hz, 1H), 7.56-7.50 (m, 2H), 7.46 (d, *J* = 9.0 Hz, 1H), 7.25-7.22 (m, 1H), 6.51-6.50 (m, 1H), 3.54 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.36. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 163.6, 159.6, 155.1, 150.8, 145.5, 134.7, 133.9, 131.9, 130.1, 129.2, 128.5, 128.1, 126.6, 125.5, 124.9, 122.0, 120.3, 119.5, 117.0, 114.4, 104.4, 55.4 ppm. **HRMS** (ESI) m/z calculated for $C_{20}H_{14}F_3N_2O_4S^+$ $[M+H]^+$: 435.0621, found 435.0623.

6-cyano-1-(quinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1k).



From 6-hydroxy-5-(quinazolin-4-yl)-2-naphthonitrile (2 mmol, 0.60 g), following the General procedure II described above, compound **1l** (1.0 mmol, 0.42 g) was obtained in 48% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.56 (s, 1H), 8.41 (s, 1H), 8.24-8.23 (m, 2H), 8.01-7.98 (m, 1H), 7.76 (d, *J* = 9.0 Hz, 1H), 7.59-7.55 (m, 2H), 7.41-7.39 (m, 2H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.10 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 162.0, 154.9, 150.9, 146.7, 135.0, 134.4, 133.9, 132.8, 131.4, 129.4, 128.9, 127.6, 127.6, 125.9, 124.8, 121.7, 119.4, 118.2, 116.9, 111.5 ppm. **HRMS** (ESI) m/z calculated for $C_{20}H_{11}F_3N_3O_3S^+$ $[M+H]^+$: 430.0468, found 430.0465.

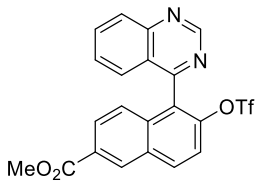
6-methoxy-1-(quinazolin-4-yl)naphthalen-2-yl trifluoromethanesulfonate (1m).



From 6-methoxy-1-(quinazolin-4-yl)naphthalen-2-ol (3 mmol, 0.90 g), following the General procedure II described above, compound **1k** (2.0 mmol, 0.9 g) was obtained in 69% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.55 (s, 1H), 8.21-8.19 (m, 1H), 8.02-7.93 (m, 2H), 7.55-7.46 (m, 3H), 7.28-7.26 (m, 1H), 7.17-7.15 (m, 1H), 7.11-7.09 (m, 1H), 3.95 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.34. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 163.4, 158.7,

154.8, 150.6, 143.0, 134.5, 134.0, 130.6, 129.0, 128.4, 127.5, 127.4, 126.8, 126.5, 124.9, 121.3, 119.9, 119.4, 106.3, 55.5 ppm. **HRMS** (ESI) m/z calculated for $C_{20}H_{14}F_3N_2O_4S^+$ $[M+H]^+$: 435.0621, found 435.0623.

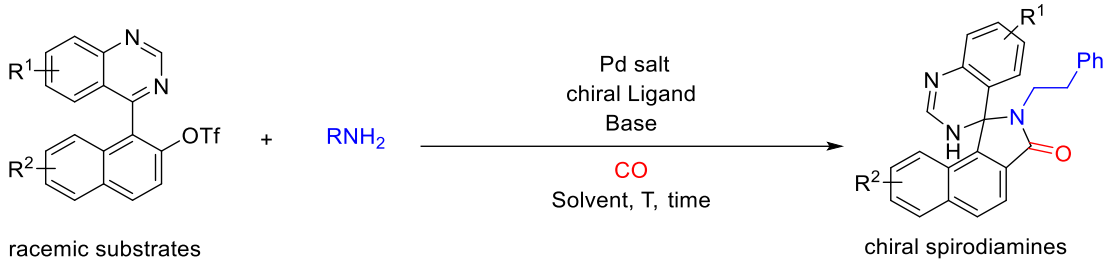
Methyl 5-(quinazolin-4-yl)-6-(((trifluoromethyl)sulfonyl)oxy)-2-naphthoate (1l).



From methyl 6-hydroxy-5-(quinazolin-4-yl)-2-naphthoate (2 mmol, 0.66 g), following the General procedure II described above, compound **1m** (1.6 mmol, 0.7 g) was obtained in 79% yield as a yellow solid; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.56 (s, 1H), 8.76 (s, 1H), 8.27 (d, *J* = 9.0 Hz, 1H), 8.22 (d, *J* = 8.5 Hz, 1H), 8.02-7.95 (m, 2H), 7.69 (d, *J* = 9.0 Hz, 1H), 7.55-7.52 (m, 1H), 7.42 (d, *J* = 8.5 Hz, 1H), 7.33 (d, *J* = 9.0 Hz, 1H), 3.98 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -74.21 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.4, 162.7, 155.0, 150.8, 146.2, 134.8, 134.6, 133.6, 131.7, 131.3, 129.3, 129.2, 128.7, 127.8, 127.2, 126.5, 126.3, 124.9, 122.0, 120.5, 119.5, 116.9, 114.4, 52.7 ppm. **HRMS** (ESI) m/z calculated for $C_{21}H_{13}F_3N_2O_5S^+$ $[M+H]^+$: 463.0570, found 463.0567.

2. Pd-catalyzed asymmetric aminocarbonylation and dearomative aza-addition.

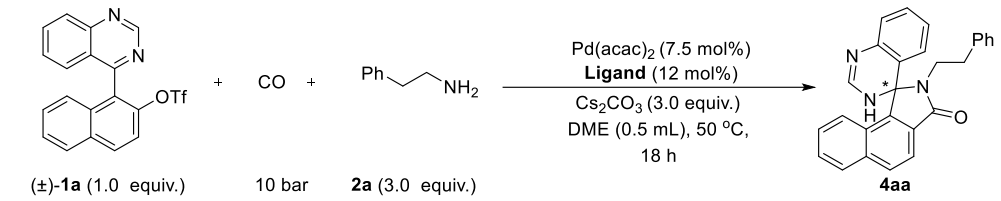
2.1. General procedure for screening of reaction conditions

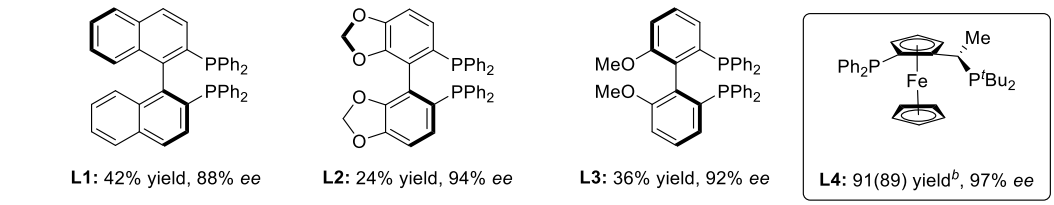


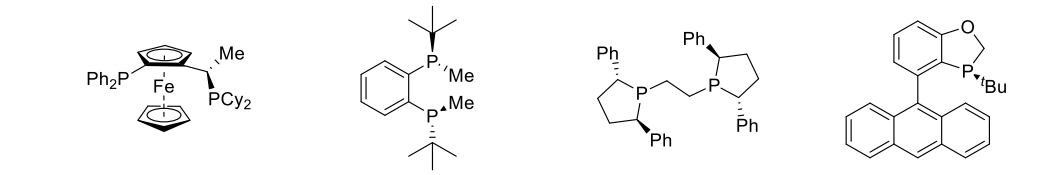
In a glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the palladium salt (7.5 mol% in terms of Pd atom), ligand (0.012 mmol 12.0 mol%), heterobiaryl triflate (0.1 mmol, 1.0 equiv.), amine (0.3 mmol, 3.0 equiv.), base (0.3 mmol, 3.0 equiv.), and solvent (0.5 mL) was added via a syringe. The vial was closed by PTFE/white rubber septum (13 mm Septa) and phenolic cap and connected with atmosphere with a needle. Next, the vial was fixed in an alloy plate and put into Parr 4760 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to specific pressure. The reaction was heated under specific temperature for 18 hours. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 3/1) to afford the desired products.

2.1.1 Optimization of reaction conditions involving alkylamines

Table S1. Ligand screening. [a]

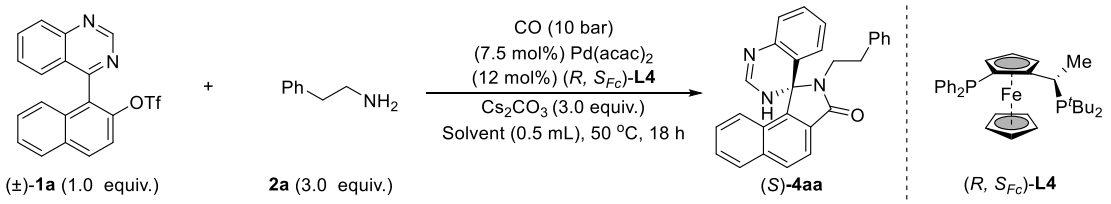






[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.) and CO (10 bar), $Pd(acac)_2$ (7.5 mol%), **Ligand** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv.) in 0.5 mL DME at 50 °C for 18 hours. The yields were determined by NMR using dibromomethane as the internal standard. The *ee* value was determined by HPLC using a chiral column. [b] Isolated yield.

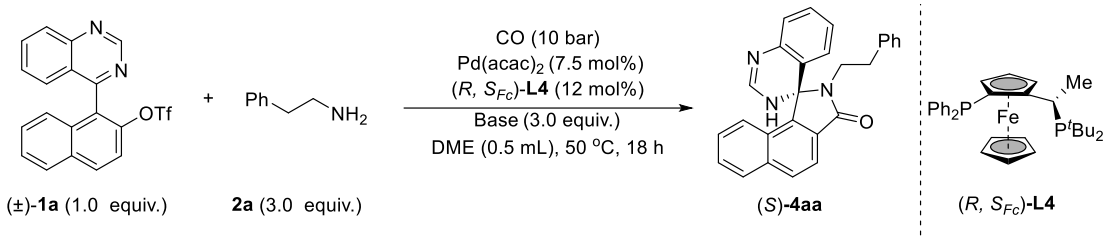
Table S2. Solvent screening. [a]



Entry	Solvent	Yield of **4aa** (%)	*ee* of **4aa** (%)
1	Toluene	98	80
2	DCM	98	86
3	Hexane	98	62
4	MeCN	32	97
5	DMAc	14	95
6	EA	81	94
7	**DME**	**91(89)[b]**	**97**

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.) and CO (10 bar), $Pd(acac)_2$ (7.5 mol%), (R, S_{Fc})-**L4** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv.) in 0.5 mL Solvent at 50 °C for 18 hours. The yields were determined by NMR using dibromomethane as the internal standard. The *ee* value was determined by HPLC using a chiral column. [b] Isolated yield.

Table S3. Base screening. [a]

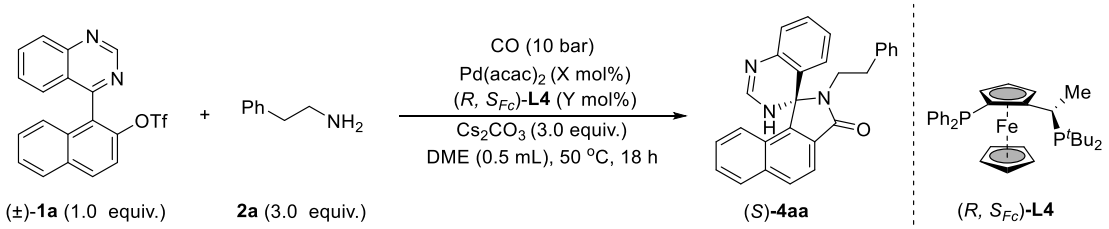


(±)-**1a** (1.0 equiv.) **2a** (3.0 equiv.) (*S*)-**4aa** (*R*, S_{Fc})-**L4**

Entry	Base	Yield of **4aa** (%)	*ee* of **4aa** (%)
1	**Cs_2CO_3**	**91(89)**[b]	**97**
2	K_2CO_3	77	62
3	K_3PO_4	99	82
4	Na_2CO_3	75	64
5	NaO^tBu	N.D.	-
6	NEt_3	71	60
7	DABCO	89	56

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.) and CO (10 bar), $Pd(acac)_2$ (7.5 mol%), (*R*, S_{Fc})-**L4** (12 mol%), and Base (0.3 mmol, 3.0 equiv.) in 0.5 mL DME at 50 °C for 18 hours. The yields were determined by NMR using dibromomethane as the internal standard. The *ee* value was determined by HPLC using a chiral column. [b] Isolated yield.

Table S4. Pd and Ligand loading screening. [a]

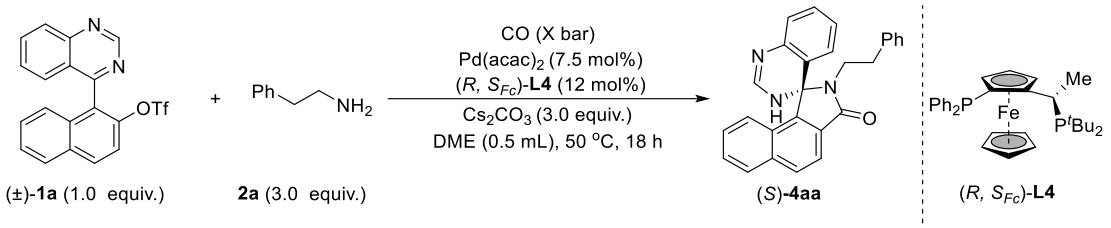


(±)-**1a** (1.0 equiv.) **2a** (3.0 equiv.) (*S*)-**4aa** (*R*, S_{Fc})-**L4**

Entry	Variation	Yield of **4aa** (%)	*ee* of **4aa** (%)
1	**X = 7.5, Y = 12**	**91(89)**[b]	**97**
2	X = 5, Y = 10	51	96

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.) and CO (10 bar), $Pd(acac)_2$ (Xmol%), (*R*, S_{Fc})-**L4** (Y mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv.) in 0.5 mL DME at 50 °C for 18 hours. The yields were determined by NMR using dibromomethane as the internal standard. The *ee* value was determined by HPLC using a chiral column. [b] Isolated yield.

Table S5. CO pressure screening. [a]



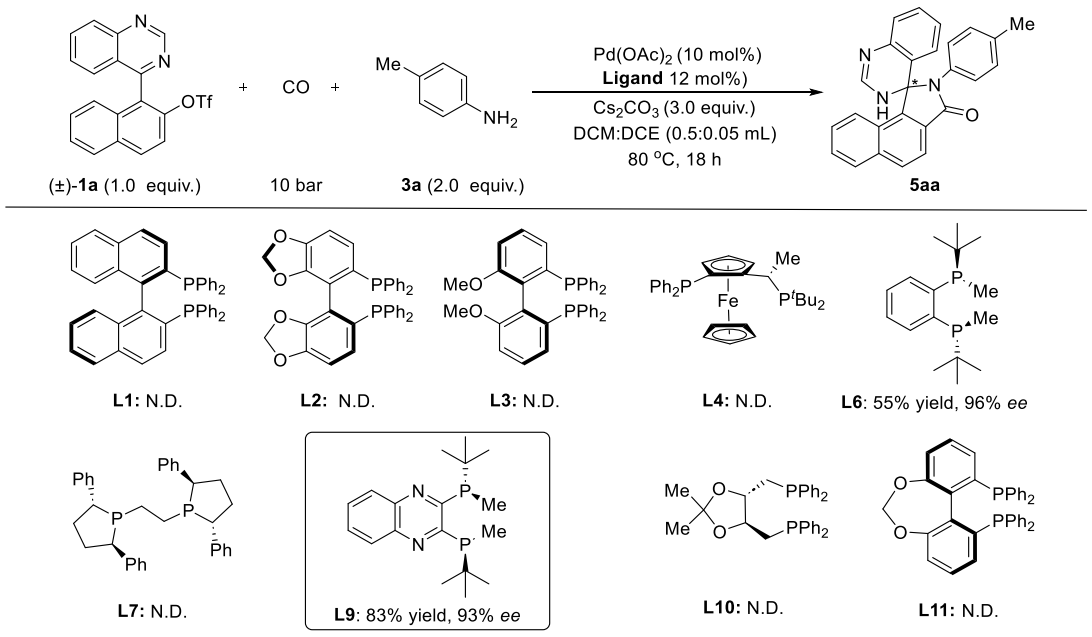
(±)-**1a** (1.0 equiv.) **2a** (3.0 equiv.) (*S*)-**4aa** (*R*, S_{Fc})-**L4**

Entry	X (bar)	Yield of **4aa** (%)	*ee* of **4aa** (%)
1	X = 5	75	97
2	**X = 10**	**91(89)**[b]	**97**
3	X = 20	46	97

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.) and CO (X bar), $Pd(acac)_2$ (7.5 mol%), (*R*, S_{Fc})-**L1** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv.) in 0.5 mL DME at 50 °C for 18 hours. The yields were determined by NMR using dibromomethane as the internal standard. The *ee* value was determined by HPLC using a chiral column. [b] Isolated yield.

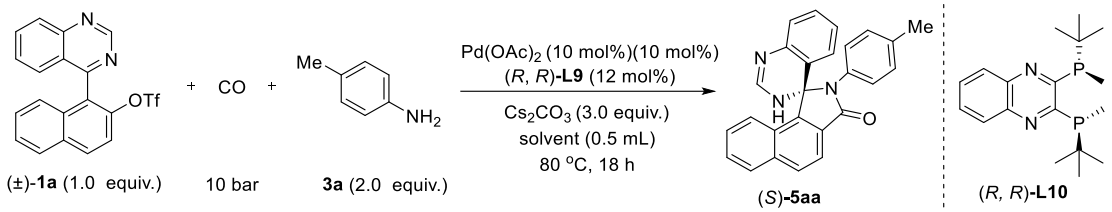
2.1.2 Optimization of reaction conditions involving arylamines

Table S6. Ligand screening. [a]



[a]Reaction conditions: **1a** (0.1 mmol, 1.0 equiv), **3a** (0.2 mmol, 2.0 equiv) and CO (10 bar), $Pd(OAc)_2$ (12 mol%), **Ligand** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv) in 0.5 mL DCM and 0.05 mL DCE at 80 °C for 18 hours. The yields were isolated yield. Ee of **5aa** was determined by chiral-phase HPLC analysis.

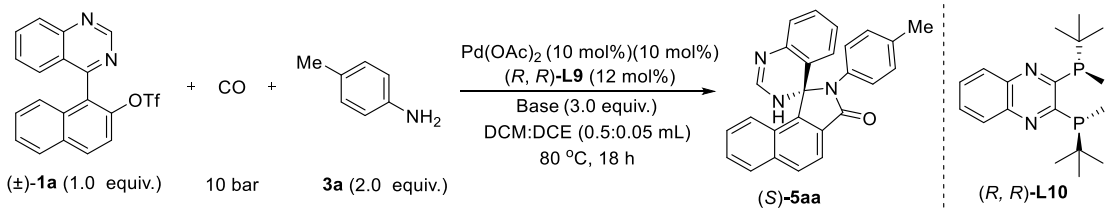
Table S7. Solvent screening. [a]



Entry	Solvent	Yield of **5aa** (%)	*ee* of **5aa** (%)
1	**DCM:DCE = 0.5:0.05**	**83**	**93**
2	DCM	84	85
3	DCE	55	90
4	Toluene	12	56
5	THF	21	70
6	MeCN	51	90
7	EA	29	88

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv), **3a** (0.2 mmol, 2.0 equiv) and CO (10 bar), $Pd(OAc)_2$ (12 mol%), (*R*, *R*)-**L9** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv) in 0.5 mL Solvent at 80 °C for 18 hours. The yields were isolated yield. Ee of **5aa** was determined by chiral-phase HPLC analysis.

Table S8. Base screening. [a]

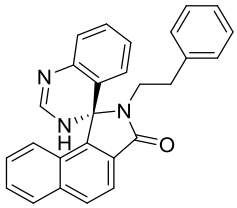


Entry	Base	Yield of **5aa** (%)	*ee* of **5aa** (%)
1	**Cs_2CO_3**	**83**	**93**
2	LiO^tBu	74	94
3	CsF	46	92
4	K_3PO_4	21	60
5	Na_2CO_3	17	86
6	K_2CO_3	31	66
7	NEt_3	20	85
8	DABCO	trace	-

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv), **3a** (0.2 mmol, 2.0 equiv) and CO (10 bar), $Pd(OAc)_2$ (12 mol%), (*R*, *R*)-**L9** (12 mol%), and Base (0.3 mmol, 3.0 equiv) in 0.5 mL DCM and 0.05 mL DCE at 80 °C for 18 hours. The yields were isolated yield. Ee of **5aa** was determined by chiral-phase HPLC analysis.

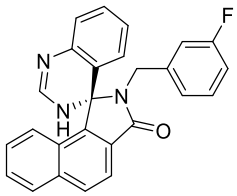
2.2 Characterization data of products.

(*S*)-2-Phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4aa).



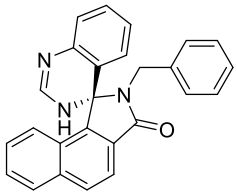
Yellow oil, 89% (35.9 mg) isolated yield, $[\alpha]_D^{25}$ = +112.03 (*c* = 1.0 in $CHCl_3$); 97% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH = 90:10 (v/v), flow rate 0.7 mL/min, λ = 254 nm, 25 ^{O}C), t_R (minor) = 36.14 min; t_R (major) = 38.43 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.94-7.84 (m, 2H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.54-7.46 (m, 2H), 7.41-7.35 (m, 1H), 7.30-7.12 (m, 6H), 7.07-7.02 (m, 2H), 6.88-6.81 (m, 1H), 6.48-6.44 (m, 1H), 3.59-3.50 (m, 1H), 3.41-3.30 (m, 1H), 3.03-2.92 (m, 1H), 2.55-2.44 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.2, 145.4, 139.4, 136.3, 131.4, 130.2, 129.4, 129.1, 128.6, 128.4, 127.8, 127.8, 127.0, 126.5, 125.9, 125.9, 123.7, 120.5, 118.8, 75.8, 42.2, 34.7 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{22}N_3O^+$ M+H]$^+$: 404.1757, found 404.1757.

(*S*)-2-(3-Fluorobenzyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ab).



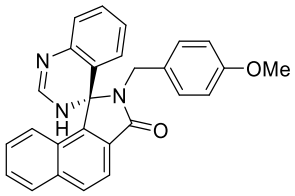
Yellow oil, 76% (31.0 mg) isolated yield, $[\alpha]_D^{20}$ = +29.90 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ^{O}C), t_R (major) = 9.37 min; t_R (minor) = 18.42 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.97-7.92 (m, 2H), 7.79 (d, *J* = 8.5 Hz, 1H), 7.54-7.50 (m, 2H), 7.41-7.38 (m, 1H), 7.21 (s, 1H), 7.18-7.11 (m, 2H), 7.08-7.04 (m, 1H), 6.88 (d, *J* = 7.5 Hz, 1H), 6.83-6.76 (m, 2H), 6.73-6.70 (m, 1H), 6.34-6.32 (m, 1H), 4.52 (d, *J* = 15.5 Hz, 1H), 4.19 (d, *J* = 15.5 Hz, 1H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -113.22 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 163.6, 161.6, 146.3, 145.1, 140.4, 140.4, 136.5, 131.5, 130.2, 129.7, 129.7, 129.5, 128.1, 128.0, 127.9, 127.1, 126.2, 125.9, 124.1, 124.1, 123.9, 120.1, 119.0, 115.3, 115.2, 114.2, 114.1, 76.1, 42.6 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}FN_3O^+$ [M+H]$^+$: 408.1507, found 408.1514.

(*S*)-2-Benzyl- 3'H- spiro[benzo[e]isoindole- 1,4'- quinazolin]- 3(2H)-one (4ac).



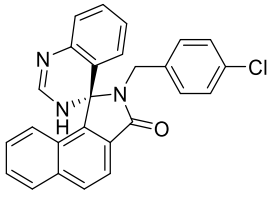
Colorless oil, 72% (28.0 mg) isolated yield, $[\alpha]_D^{20}$ = +51.90 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 8.44 min; t_R (minor) = 23.44 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.00 (d, *J* = 8.5 Hz, 1H), 7.94 (d, *J* = 8.5 Hz, 1H), 7.88 (d, *J* = 8.5 Hz, 1H), 7.54-7.51 (m, 2H), 7.41-7.38 (m, 1H), 7.22-7.13 (m, 8H), 6.80-6.76 (m, 1H), 6.40 (d, *J* = 8.0 Hz, 1H), 4.81 (d, *J* = 15.5 Hz, 1H), 4.05 (d, *J* = 15.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.8, 146.1, 145.0, 138.1, 136.5, 131.6, 130.2, 129.5, 128.6, 128.4, 128.3, 127.9, 127.3, 127.1, 126.1, 123.9, 120.6, 119.2, 75.9, 43.1 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{20}N_3O^+$ $[M+H]^+$: 390.1601, found 390.1600.

(*S*)-2-(4-Methoxybenzyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ad).



Yellow oil, 99% (41.4 mg) isolated yield, $[\alpha]_D^{20}$ = +17.04 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 13.15 min; t_R (minor) = 33.17 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.93-7.92 (m, 2H), 7.76 (d, *J* = 8.5 Hz, 1H), 7.52-7.48 (m, 2H), 7.39-7.36 (m, 1H), 7.21-7.19 (m, 3H), 7.10-7.08 (m, 2H), 6.78-6.75 (m, 1H), 6.66-6.63 (m, 2H), 6.37-6.35 (m, 1H), 4.70 (d, *J* = 15.5 Hz, 1H), 4.05 (d, *J* = 15.5 Hz, 1H), 3.68 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.8, 158.7, 146.2, 145.2, 136.4, 131.5, 130.3, 130.1, 129.9, 129.4, 128.3, 127.9, 127.0, 126.1, 126.0, 123.8, 120.6, 119.0, 113.6, 75.9, 55.3, 42.6 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{22}N_3O^+$ $[M+H]^+$: 420.1707, found 420.1710.

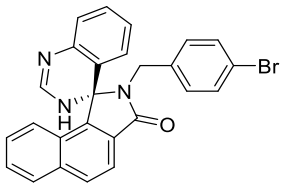
(*S*)-2-(4-Chlorobenzyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ae).



Yellow oil, 77% (32.5 mg) isolated yield, $[\alpha]_D^{20}$ = +44.56 (*c* = 1.0 in $CHCl_3$); 98% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 8.99 min; t_R (minor) = 15.45 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.95-7.90 (m, 2H), 7.77 (d, *J* = 8.5 Hz, 1H), 7.53-7.48 (m, 2H), 7.41-7.37 (m, 1H),

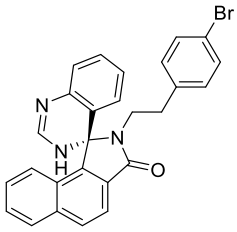
7.19-7.15 (m, 2H), 7.11-7.09 (m, 1H), 7.05-7.00 (m, 4H), 6.74-6.70 (m, 1H), 6.31-6.30 (m, 1H), 4.49 (d, *J* = 15.5 Hz, 1H), 4.13 (d, *J* = 15.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.3, 145.1, 136.4, 136.4, 133.0, 131.5, 130.1, 129.9, 129.5, 128.3, 128.1, 128.0, 128.0, 127.0, 126.2, 126.0, 123.8, 120.1, 119.0, 76.0, 42.4 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}ClN_3O^+$ $[M+H]^+$: 424.1211, found 424.1219.

(*S*)-2-(4-Bromobenzyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4af).



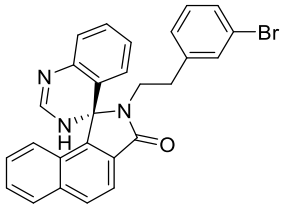
Yellow oil, 74% (34.6 mg) isolated yield, $[\alpha]_D^{20}$ = +32.64 (*c* = 1.0 in $CHCl_3$); 98% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 9.28 min; t_R (minor) = 16.16 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.97 (d, *J* = 8.5 Hz, 1H), 7.93 (d, *J* = 8.0 Hz, 1H), 7.81 (d, *J* = 8.5 Hz, 1H), 7.54-7.49 (m, 2H), 7.41-7.38 (m, 1H), 7.23-7.14 (m, 5H), 7.00-6.98 (m, 2H), 6.76-6.73 (m, 1H), 6.34-6.32 (m, 1H), 4.55 (d, *J* = 15.5 Hz, 1H), 4.11 (d, *J* = 15.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.2, 145.0, 137.0, 136.5, 131.6, 131.3, 130.3, 130.2, 129.5, 128.1, 128.0, 128.0, 127.0, 126.2, 126.1, 123.8, 121.2, 120.2, 119.1, 76.0, 42.5 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}BrN_3O^+$ $[M+H]^+$: 468.0706, found 468.0707.

(*S*)-2-(4-Bromophenethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ag).



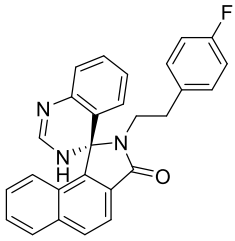
Yellow oil, 54% (25.9 mg) isolated yield, [α]D ^{25} = + 64.97 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 5.90 min; t_R (minor) = 6.89 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.97-7.90 (m, 2H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.58-7.48 (m, 2H), 7.48-7.38 (m, 2H), 7.35-7.25 (m, 4H), 6.93-6.91 (m, 2H), 6.89-6.86 (m, 1H), 6.45 (d, *J* = 8.0 Hz, 1H), 3.56-3.35 (m, 2H), 2.98-2.84 (m, 1H), 2.54-2.42 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.0, 145.2, 141.7, 136.4, 132.0, 131.6, 130.4, 130.2, 129.7, 129.5, 128.5, 128.0, 128.0, 127.7, 127.0, 126.3, 126.0, 123.8, 122.6, 120.5, 118.9, 75.8, 41.8, 34.4 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{20}N_3OBrNa^+$ $[M+Na]^+$: 504.0687, found 504.0684.

(*S*)-2-(3-Bromophenethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ah).



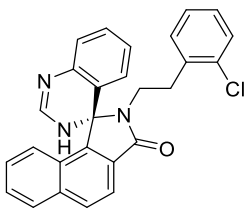
Yellow oil, 50% (23.8 mg) isolated yield, [α]D ^{25} = +64.40 (*c* = 1.0 in $CHCl_3$); 97% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 5.56 min; t_R (minor) = 7.22 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96-7.90 (m, 2H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.57-7.48 (m, 2H), 7.47-7.38 (m, 2H), 7.36-7.27 (m, 3H), 7.20-7.17 (m, 1H), 7.08 (t, *J* = 8.0 Hz, 1H), 7.01-6.96 (m, 1H), 6.91-6.84 (m, 1H), 6.50-6.44 (m, 1H), 3.55-3.35 (m, 2H), 2.97-2.86 (m, 1H), 2.52-2.42 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.0, 145.2, 141.7, 136.4, 132.0, 131.6, 130.4, 130.2, 129.7, 129.5, 128.5, 128.0, 128.0, 127.7, 127.0, 126.3, 126.0, 123.8, 122.6, 120.5, 118.9, 75.8, 41.8, 34.4 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{20}N_3OBrNa^+$ $[M+Na]^+$: 504.0687, found 504.0688.

(*S*)-2-(4-Fluorophenethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ai).



Yellow oil, 48% (20.1 mg) isolated yield, [α]D ^{25} = +68.71 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 5.56 min; t_R (minor) = 6.43 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.98-7.92 (m, 2H), 7.77 (d, *J* = 8.5 Hz, 1H), 7.57 -7.46 (m, 3H), 7.44 -7.37 (m, 1H), 7.36 -7.28 (m, 2H), 7.05-6.98 (m, 2H), 6.93-6.86 (m, 3H), 6.52-6.46 (m, 1H), 3.58-3.48 (m, 1H), 3.41-3.31 (m, 1H), 3.01-2.90 (m, 1H), 2.54-2.44 (m, 1H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -116.31 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 162.6, 160.7, 145.7, 145.4, 136.4, 135.03 (d, *J* = 3.2 Hz), 131.7, 130.5, 130.5, 130.4, 129.5, 128.6, 128.0, 128.0, 127.0, 126.5, 126.0, 123.7, 120.7, 118.9, 115.4 (d, *J* = 21.1 Hz), 75.6, 42.3, 33.9 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{20}N_3OFNa^+$ $[M+Na]^+$: 444.1488, found 444.1483.

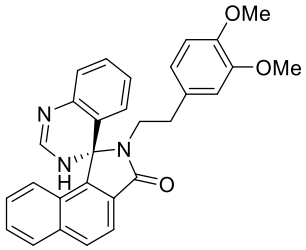
(*S*)-2-(2-Chlorophenethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4aj).



Yellow oil, 90% (39.5 mg) isolated yield, $[\alpha]_D$ ^{20} = +21.55 (*c* = 1.0 in $CHCl_3$); 84% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 8.24 min; t_R (minor) = 9.42 min; **^{1}H NMR (500 MHz,**

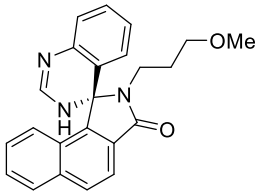
Chloroform-*d*) δ 7.95-7.90 (m, 2H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.52- 7.49 (m, 2H), 7.40-7.37 (m, 1H), 7.31-7.24 (m, 4H), 7.13-7.09 (m, 3H), 6.87-6.84 (m, 1H), 6.50-6.48 (m, 1H), 3.55-3.50 (m, 1H), 3.38-3.32 (m, 1H), 3.10-3.04 (m, 1H), 2.73-2.68 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 145.1, 137.1, 136.4, 134.4, 131.5, 131.4, 130.2, 129.6, 129.5, 128.3, 128.1, 127.9, 127.9, 127.1, 127.0, 126.0, 126.0, 123.8, 120.4, 118.9, 76.0, 40.0, 32.7 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{21}ClN_3O^+$ $[M+H]^+$: 438.1368, found 438.1371.

(*S*)-2-(3,4-dimethoxyphenethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ak).



Yellow oil, 76% (35.1 mg) isolated yield, $[\alpha]_D^{20}$ = +66.90 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 21.25 min; t_R (minor) = 28.97 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.98-7.93 (m, 2H), 7.83 (d, *J* = 8.5 Hz, 1H), 7.55-7.49 (m, 2H), 7.42-7.38 (m, 1H), 7.35-7.27 (m, 3H), 6.90-6.86 (m, 1H), 6.71 (d, *J* = 8.0 Hz, 1H), 6.63-6.61 (m, 2H), 6.50-6.49 (m, 1H), 3.79 (s, 3H), 3.78 (s, 3H), 3.62-3.56 (m, 1H), 3.39-3.33 (m, 1H), 3.07-3.01 (m, 1H), 2.54-2.49 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 148.9, 147.6, 146.0, 145.3, 136.3, 132.0, 131.5, 130.2, 129.4, 128.6, 127.9, 127.9, 127.0, 126.1, 126.0, 123.8, 121.0, 118.8, 112.2, 111.3, 75.7, 56.0, 56.0, 42.3, 34.2 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{26}N_3O_3^+$ $[M+H]^+$: 464.1969, found 464.1972.

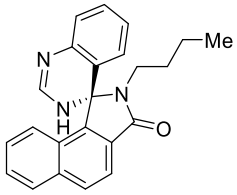
(*S*)-2-(3-Methoxypropyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4al).



Colorless oil, 74% (27.4 mg) isolated yield, $[\alpha]D^{25}$ = +30.65 (c = 1.0 in $CHCl_3$); 98% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 12.44 min; t_R (minor) = 15.38 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.97-7.91 (m, 2H), 7.74 (d, *J* = 8.5 Hz, 1H), 7.60 (s, 1H), 7.56-7.49 (m, 2H), 7.45-7.39 (m, 1H), 7.26-7.18 (m, 2H), 6.89-6.83 (m, 1H), 6.51-6.46 (m, 1H), 3.45-3.34 (m, 1H), 3.33-3.18 (m, 3H), 3.13 (s, 3H), 1.72-1.60 (m, 1H), 1.60-1.48 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 146.6, 145.6, 140.2, 136.4, 131.4, 130.2, 129.5, 128.3, 127.9, 127.8, 127.0,

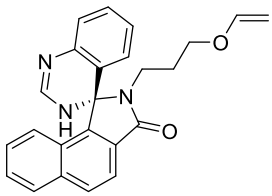
126.1, 126.0, 123.8, 123.4, 120.5, 118.9, 76.0, 70.6, 58.4, 37.2, 28.7 ppm. **HRMS** (ESI) m/z calculated for $C_{23}H_{21}N_3O_2Na^+$ $[M+Na]^+$: 394.1531, found 394.1526.

(*S*)-2-Butyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4am).



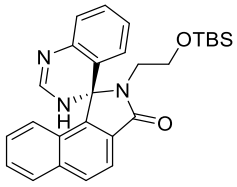
Colorless oil, 90% (32.0 mg) isolated yield, $[\alpha]_D^{20}$ = +114.90 (*c* = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 80:40 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 11.20 min; t_R (minor) = 12.92 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.95-7.90 (m, 2H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.56-7.52 (m, 3H), 7.44-7.41 (m, 1H), 7.27-7.26 (m, 2H), 6.89-6.84 (m, 1H), 6.48 (d, *J* = 7.5 Hz, 1H), 3.25-3.11 (m, 2H), 1.34-1.09 (m, 4H), 0.73 (t, *J* = 7.0 Hz, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.3, 145.4, 136.3, 131.4, 130.1, 129.4, 128.5, 127.9, 127.8, 127.1, 126.1, 125.8, 123.9, 120.9, 118.8, 75.9, 39.6, 31.0, 20.4, 13.7 ppm. **HRMS** (ESI) m/z calculated for $C_{23}H_{22}N_3O^+$ $[M+H]^+$: 356.1757, found 356.1760.

(*S*)-2-(3-(Vinyloxy)propyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4an).



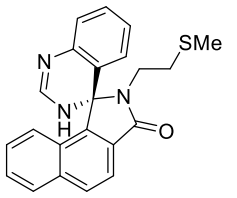
Colorless oil, 75% (28.6 mg) isolated yield, $[\alpha]_D^{20}$ = +123.04 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 8.90 min; t_R (minor) = 11.02 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.94-7.91 (m, 2H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.54-7.50 (m, 3H), 7.43-7.40 (m, 1H), 7.25-7.22 (m, 2H), 6.87-6.84 (m, 1H), 6.47 (d, *J* = 7.5 Hz, 1H), 6.35-6.30 (m, 1H), 4.07-4.04 (m, 1H), 3.92-3.91 (m, 1H), 3.58-3.54 (m, 1H), 3.51-3.47 (m, 1H), 3.38-3.32 (m, 1H), 3.28-3.22 (m, 1H), 1.81-1.73 (m, 1H), 1.65-1.57 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 151.7, 145.3, 136.4, 131.4, 130.2, 129.5, 128.3, 127.9, 127.9, 127.1, 126.1, 125.9, 123.8, 118.9, 86.8, 76.2, 65.7, 37.1, 28.3 ppm. **HRMS** (ESI) m/z calculated for $C_{24}H_{22}N_3O_2^+$ $[M+H]^+$: 384.1707, found 384.1707.

(*S*)-2-(2-((*tert*-Butyldimethylsilyl)oxy)ethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ao).



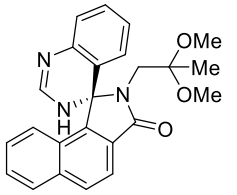
Colorless oil, 73% (32.2 mg) isolated yield, $[\alpha]_D^{20}$ = +83.61 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 5.75 min; t_R (minor) = 6.57 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.97-7.96 (m, 2H), 7.81 (d, *J* = 8.5 Hz, 1H), 7.62 (s, 1H), 7.59-7.54 (m, 2H), 7.47-7.44 (m, 1H), 7.30-7.27 (m, 2H), 6.91-6.88 (m, 1H), 6.53 (d, *J* = 7.5 Hz, 1H), 3.84-3.77 (m, 1H), 3.52-3.45 (m, 1H), 3.38-3.32 (m, 2H), 0.84 (s, 9H), 0.00 (s, 3H), -0.02 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 154.7, 145.2, 136.4, 131.5, 130.2, 129.5, 128.2, 127.9, 127.9, 127.1, 126.0, 126.0, 123.9, 120.8, 119.0, 75.7, 60.2, 42.1, 26.1, 18.4, -5.2, -5.3 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{32}N_3O_2Si^+$ $[M+H]^+$: 458.2258, found 458.2260.

(*S*)-2-(2-(Methylthio)ethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ap).



Colorless oil, 77% (28.8 mg) isolated yield, $[\alpha]_D^{20}$ = +68.58 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 7.37 min; t_R (minor) = 9.53 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.95-7.92 (m, 2H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.59 (s, 1H), 7.56-7.53 (m, 2H), 7.44-7.40 (m, 1H), 7.30-7.26 (m, 2H), 6.91-6.87 (m, 1H), 6.52 (d, *J* = 8.0 Hz, 1H), 3.56-3.45 (m, 2H), 2.66-2.60 (m, 1H), 2.26-2.21 (m, 1H), 2.01 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.0, 145.4, 136.4, 131.5, 130.3, 129.5, 128.2, 127.9, 127.0, 126.2, 126.0, 123.8, 118.9, 75.9, 39.0, 32.5, 15.4 ppm. **HRMS** (ESI) m/z calculated for $C_{22}H_{23}N_3OS^+$ $[M+H]^+$: 374.1322, found 374.1329.

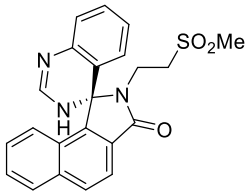
(*S*)-2-(2,2-dimethoxypropyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4aq).



Yellow oil, 61% (24.5 mg) isolated yield, $[\alpha]_D^{20}$ = +73.69 (*c* = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 8.18 min; t_R (minor) = 15.00 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.99 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 1H), 7.87 (d, *J* = 8.5 Hz, 1H), 7.59-7.57 (m, 2H), 7.55-7.52 (m,

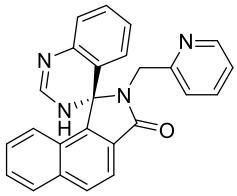
1H), 7.44-7.41 (m, 1H), 7.32-7.26 (m, 2H), 6.90-6.87 (m, 1H), 6.46-6.44 (m, 1H), 3.70 (d, *J* = 15.0 Hz, 1H), 3.27 (d, *J* = 15.0 Hz, 1H), 3.15 (s, 3H), 3.03 (s, 3H), 1.35 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 168.5, 154.7, 147.1, 145.4, 136.6, 131.4, 130.0, 129.5, 127.2, 127.9, 127.4, 127.2, 125.9, 125.8, 123.9, 120.9, 119.0, 101.5, 76.7, 70.2, 48.7, 48.6, 44.9, 20.6 ppm. **HRMS** (ESI) m/z calculated for $C_{24}H_{23}N_3O_3Na^+$ $[M+Na]^+$: 424.1632, found 424.1635.

(*S*)-2-(2-(methylsulfonyl)ethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ar).



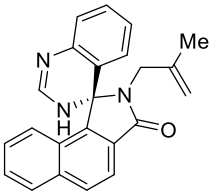
Colorless oil, 54% (22.0 mg) isolated yield, $[\alpha]_D^{20}$ = +68.58 (*c* = 1.0 in $CHCl_3$); 97% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 34.52 min; t_R (minor) = 44.19 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.01-7.95 (m, 2H), 7.85 (d, *J* = 8.5 Hz, 1H), 7.63 (s, 1H), 7.56-7.52 (m, 2H), 7.44-7.41 (m, 1H), 7.30-7.26 (m, 1H), 7.19-7.17 (m, 1H), 6.91-6.88 (m, 1H), 6.50 (d, *J* = 8.0 Hz, 1H), 3.84-3.79 (m, 1H), 3.73-3.67 (m, 1H), 3.52-3.46 (m, 1H), 3.07-3.00 (m, 1H), 2.94 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.5, 147.4, 145.8, 136.6, 131.5, 130.5, 129.6, 128.1, 128.0, 127.7, 127.1, 126.1, 126.0, 123.8, 119.0, 76.8, 52.4, 40.9, 33.8 ppm. **HRMS** (ESI) m/z calculated for $C_{22}H_{20}N_3O_3S^+$ $[M+H]^+$: 406.1220, found 406.1222.

(*S*)-2-(Pyridin- 2- ylmethyl)- 3'H- spiro[benzo[e]isoindole-1,4'- quinazolin]-3(2H)- one (4as).



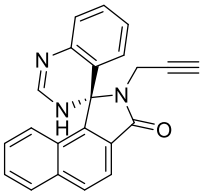
Colorless oil, 85% (33.3 mg) isolated yield, $[\alpha]_D^{20}$ = -52.95 (*c* = 1.0 in $CHCl_3$); 92% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 40:60 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 20.22 min; t_R (minor) = 30.93 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.34-8.33 (m, 1H), 8.00-7.88 (m, 3H), 7.57-7.50 (m, 3H), 7.41-7.35 (m, 2H), 7.25-7.19 (m, 3H), 7.08-7.06 (m, 1H), 6.83-6.79 (m, 1H), 6.53 (d, *J* = 8.0 Hz, 1H), 4.89 (d, *J* = 16.5 Hz, 1H), 4.41 (d, *J* = 16.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.2, 157.1, 148.9, 147.3, 145.5, 136.7, 136.5, 131.3, 130.0, 129.4, 128.1, 127.9, 127.8, 127.2, 126.1, 125.8, 124.0, 122.8, 122.3, 119.3, 76.1, 44.8 ppm. **HRMS** (ESI) m/z calculated for $C_{25}H_{19}N_4O^+$ $[M+H]^+$: 391.1553, found 391.1555.

(*S*)-2-(2-Methylallyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4at).



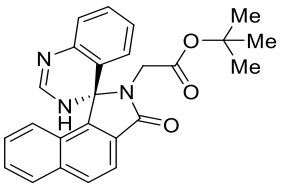
Colorless oil, 65% (22.8 mg) isolated yield, [α]D ^{25} = +136.56 (c = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 90:10 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 19.54 min; t_R (minor) = 15.36 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96-7.89 (m, 2H), 7.76-7.69 (m, 1H), 7.57-7.48 (m, 2H), 7.42-7.37 (m, 1H), 7.26-7.13 (m, 2H), 6.84 (t, *J* = 8.0 Hz, 1H), 6.42 (d, *J* = 8.0 Hz, 1H), 4.62 (d, *J* = 15.0 Hz, 2H), 4.01 (d, *J* = 15.5, 1H), 3.63 (d, *J* = 15.5 Hz, 1H), 1.59 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.2, 145.4, 140.9, 136.4, 131.4, 130.1, 129.4, 128.4, 127.9, 127.8, 127.1, 126.1, 125.8, 123.9, 120.6, 119.1, 112.8, 75.9, 45.4, 20.3 ppm. **HRMS** (ESI) m/z calculated for $C_{23}H_{19}N_3ONa^+$ $[M+Na]^+$: 376.1426, found 376.1423.

(*S*)- 2-(Prop-2-yn-1-yl)-3'H- spiro[benzo[e]isoindole- 1,4'- quinazolin]- 3(2H)-one (4au).



Colorless oil, 81% (27.4 mg) isolated yield, $[\alpha]_D$ ^{20} = +55.16 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 °C), t_R (major) = 10.01 min; t_R (minor) = 10.57 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.91-7.87 (m, 2H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.53-7.49 (m, 3H), 7.41-7.38 (m, 1H), 7.22-7.19 (m, 1H), 7.15-7.13 (m, 1H), 6.84-6.81 (m, 1H), 6.43 (d, *J* = 7.0 Hz, 1H), 4.26 (dd, *J* = 18.0, 2.5 Hz, 1H), 3.86 (dd, *J* = 18.0, 2.5 Hz, 1H), 2.00 (t, *J* = 2.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.3, 146.8, 145.8, 136.4, 131.4, 130.2, 129.4, 128.0, 127.9, 127.7, 127.0, 126.3, 125.7, 123.9, 119.4, 118.9, 78.6, 76.0, 70.9, 28.1 ppm. **HRMS** (ESI) m/z calculated for $C_{22}H_{16}N_3O^+$ $[M+H]^+$: 338.1288, found 338.1289.

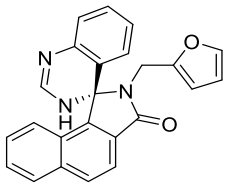
(*S*)-*tert*-Butyl-2- (3-oxo-3'H-spiro[benzo[e]isoindole- 1,4'-quinazolin]- 2(3H)-yl)acetate (4av).



Colorless oil, 62% (25.6 mg) isolated yield, $[\alpha]_D$ ^{20} = +15.91 (*c* = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 16.68 min; t_R (minor) = 32.76 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.02 (d, *J* = 10.5 Hz, 1H), 7.95 (d, *J* = 10.5 Hz, 1H), 7.91 (d, *J* = 10.5 Hz, 1H), 7.56-7.51 (m, 3H), 7.43-7.39 (m, 1H), 7.34-7.25 (m, 2H), 6.92-6.88 (m, 1H), 6.55 (d, *J* = 9.5

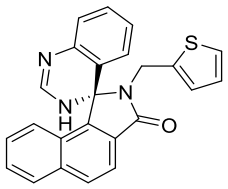
Hz, 1H), 4.30 (d, *J* = 22.5 Hz, 1H), 3.55 (d, *J* = 22.5 Hz, 1H), 1.40 (s, 9H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 168.7, 166.8, 146.3, 145.3, 141.9, 136.5, 131.6, 130.3, 129.5, 128.0, 128.0, 127.1, 126.3, 126.1, 125.5, 124.0, 120.5, 119.3, 82.6, 75.5, 41.4, 28.1 ppm. **HRMS** (ESI) m/z calculated for $C_{25}H_{24}N_3O_3^+$ $[M+H]^+$: 414.1812, found 414.1811.

(*S*)-2-(Furan-2-ylmethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4aw).



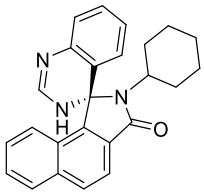
Colorless oil, 61% (22.9 mg) isolated yield, $[\alpha]D^{25}$ = +6.39 (c = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis ((Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 90:10 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 37.45 min; t_R (minor) = 29.73 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.95-7.87 (m, 2H), 7.78-7.72 (m, 1H), 7.55-7.48 (m, 2H), 7.42-7.37 (m, 1H), 7.34 (s, 1H), 7.25-7.14 (m, 3H), 6.84-6.76 (m, 1H), 6.42 (d, *J* = 8.0 Hz, 1H), 6.17-6.11 (m, 1H), 6.04-6.01 (m, 1H), 4.76 (d, *J* = 16.0 Hz, 1H), 4.20 (d, *J* = 16.0 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.6, 150.4, 146.3, 145.1, 142.1, 136.5, 131.5, 130.1, 129.4, 127.9, 127.9, 127.0, 126.0, 125.9, 123.8, 120.3, 119.1, 110.5, 108.6, 75.7, 35.5 ppm. **HRMS** (ESI) m/z calculated for $C_{24}H_{17}N_3O_2Na^+$ $[M+Na]^+$: 402.1218, found 402.1214.

(*S*)-2-(Thiophen-2-ylmethyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ax).



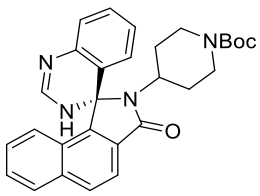
Yellow oil, 80% (31.6 mg) isolated yield, $[\alpha]_D^{20}$ = +38.85 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 12.59 min; t_R (minor) = 29.12 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96-7.91 (m, 2H), 7.78 (d, *J* = 10.0 Hz, 1H), 7.54-7.50 (m, 2H), 7.42-7.38 (m, 1H), 7.24 (s, 1H), 7.22-7.15 (m, 2H), 7.04-7.03 (m, 1H), 6.78-6.68 (m, 3H), 6.38-6.36 (m, 1H), 4.76 (d, *J* = 19.5 Hz, 1H), 4.30 (d, *J* = 19.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.5, 146.3, 145.3, 140.2, 136.5, 131.5, 130.3, 129.5, 128.1, 128.0, 128.0, 127.2, 127.1, 126.5, 126.1, 125.9, 125.5, 123.9, 120.2, 119.1, 75.9, 37.6 ppm. **HRMS** (ESI) m/z calculated for $C_{24}H_{18}SN_3O^+$ $[M+H]^+$: 386.1165, found 396.1166.

(*S*)-2-(Cyclohexylmethyl)- 3'H- spiro[benzo[e]isoindole- 1,4'-quinazolin]- 3(2H)- one (4ay).



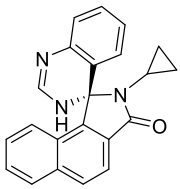
Colorless oil, 82% (31.4 mg) isolated yield, $[\alpha]_D^{20}$ = +127.37 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 10.01 min; t_R (minor) = 10.57 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.84 (d, *J* = 8.5 Hz, 1H), 7.73 (d, *J* = 8.5 Hz, 1H), 7.47-7.22 (m, 7H), 6.84-6.79 (m, 1H), 6.48 (d, *J* = 8.0 Hz, 1H), 3.13-3.08 (m, 1H), 2.26-2.09 (m, 2H), 1.75-1.70 (m, 2H), 1.59-1.51 (m, 2H), 1.27-0.89 (m, 4H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.6, 146.3, 145.5, 136.1, 131.0, 130.0, 129.3, 129.0, 127.7, 127.6, 126.8, 126.4, 125.4, 123.5, 120.5, 118.5, 76.7, 53.8, 30.9, 29.8, 26.5, 26.3, 25.3 ppm. **HRMS** (ESI) m/z calculated for $C_{25}H_{24}N_3O^+$ $[M+H]^+$: 382.1914, found 382.1918.

(*S*)-*tert*-Butyl-4-(3-oxo-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-2(3H)-yl)piperidine-1-carboxylate (4az).



Yellow oil, 81% (39.1 mg) isolated yield, $[\alpha]_D^{20}$ = +63.72 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 10.11 min; t_R (minor) = 11.97 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.94-7.90 (m, 2H), 7.76-7.74 (m, 1H), 7.52-7.36 (m, 4H), 7.25-7.20 (m, 2H), 6.88-6.84 (m, 1H), 6.56-6.54 (m, 1H), 4.09-3.91 (m, 3H), 3.29-3.26 (m, 1H), 2.58-2.29 (m, 3H), 1.55-1.52 (m, 1H), 1.38 (s, 9H), 1.11-1.08 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.7, 154.8, 154.2, 146.4, 145.4, 136.3, 131.1, 130.2, 129.5, 128.9, 127.8, 127.7, 126.9, 126.4, 125.6, 123.5, 118.8, 79.8, 70.2, 51.9, 29.0, 28.5 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{31}N_4O_3^+$ $[M+H]^+$: 483.2391, found 483.2395.

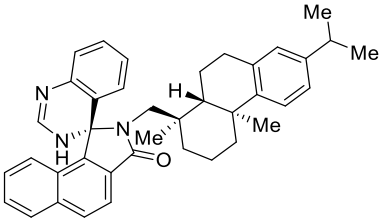
(*S*)-2-Cyclopropyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4aaa).



Colorless oil, 58% (19.8 mg) isolated yield, $[\alpha]_D^{20}$ = +123.46 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 10.60 min; t_R (minor) = 12.87 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.94-7.89 (m, 2H), 7.71 (d, *J* = 10.5 Hz, 1H), 7.60-7.52 (m, 3H), 7.28-7.26 (m, 3H), 6.91-6.84 (m, 1H), 6.46 (d, *J*

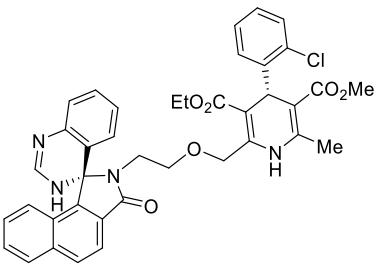
= 10.0 Hz, 1H), 2.28-2.23 (m, 1H), 0.95-0.86 (m, 1H), 0.68-0.53 (m, 2H), 0.45-0.39 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 168.2, 146.0, 145.3, 136.5, 131.4, 130.0, 129.4, 128.4, 127.9, 127.8, 127.0, 125.8, 125.8, 124.0, 121.6, 118.7, 22.1, 4.3, 4.2 ppm. **HRMS** (ESI) m/z calculated for $C_{22}H_{18}N_3O^+$ $[M+H]^+$: 340.1450, found 340.1449.

(*S*)-2-(((1R,4aS,10aR)-7-isopropyl-1,4a-dimethyl- 1,2,3,4,4a,9,10,10a- octahydrophenanthren-1- yl)methyl)- 3'H- spiro[benzo[e]isoindole- 1,4'- quinazolin]- 3(2H)- one (4abb).



Colorless oil, 62% (35.1 mg) isolated yield, $[\alpha]_D^{20}$ = +61.11 (*c* = 1.0 in $CHCl_3$); 95:5 dr, determined by HPLC analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH = 80:20 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 12.70 min; t_R (minor) = 14.34 min; **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.89 (d, *J* = 10.5 Hz, 1H), 7.83 (d, *J* = 10.5 Hz, 1H), 7.62 (d, *J* = 10.5 Hz, 1H), 7.55 (s, 1H), 7.53-7.48 (m, 2H), 7.41-7.37 (m, 1H), 7.16-7.15 (m, 1H), 7.06-7.02 (m, 2H), 6.91-6.87 (m, 2H), 6.82-6.78 (m, 1H), 6.40 (d, *J* = 9.5 Hz, 1H), 3.30 (d, *J* = 18.0 Hz, 1H), 3.08 (d, *J* = 18.0 Hz, 1H), 2.91-2.77 (m, 3H), 2.15-2.10 (m, 1H), 1.95-1.90 (m, 1H), 1.72-1.66 (m, 1H), 1.57-1.44 (m, 2H), 1.30-1.16 (m, 11H), 1.13 (s, 3H), 0.93 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.9, 147.6, 146.8, 145.5, 144.9, 136.5, 135.1, 131.4, 130.0, 129.4, 127.9, 127.8, 127.7, 127.1, 127.0, 126.2, 126.0, 123.8, 123.7, 123.6, 118.8, 52.9, 46.1, 38.5, 38.0, 37.6, 37.3, 33.6, 29.7, 25.5, 24.2, 24.1, 19.8, 19.5, 18.8 ppm. **HRMS** (ESI) m/z calculated for $C_{39}H_{42}N_3O^+$ $[M+H]^+$: 568.3322, found 568.3319.

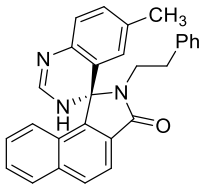
(*S*)-3-Ethyl-5-methyl-(*R*)-4-(2-chlorophenyl)-6-methyl-2-((2-((*S*)-3-oxo-3'H-spiro[benzo[e] isoindole- 1,4'-quinazolin]-2(3H)-yl)ethoxy)methyl)-1,4-dihydropyridine-3,5-dicarboxylate (4acc).



Colorless oil, 46% (31.7 mg) isolated yield, $[\alpha]_D^{20}$ = +58.87 (*c* = 1.0 in $CHCl_3$); **^{1}H NMR (500 MHz, CD_2Cl_2)** 7.98-7.92 (m, 3H), 7.76 (d, *J* = 8.5 Hz, 1H), 7.58 (s, 1H), 7.53-7.49 (m, 2H), 7.40-7.37 (m, 1H), 7.28-7.18 (m, 3H), 7.13-7.11 (m, 2H), 7.03-7.00 (m, 1H), 6.96-6.93 (m, 1H), 6.79 (t, *J* = 7.5 Hz, 1H), 6.47 (d, *J* = 7.5 Hz, 1H), 5.14 (s, 1H), 4.47 (d, *J* = 16.0 Hz, 1H), 4.31 (d, *J* = 16.0 Hz, 1H), 3.85 (q, *J* = 7.0 Hz, 2H), 3.64-3.59 (m, 1H), 3.55-3.47 (m, 5H), 3.22-3.10 (m, 1H), 2.19 (s, 3H), 1.03 (t, *J* = 7.0

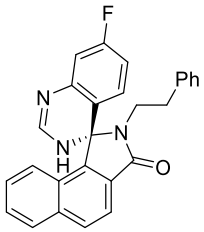
Hz, 3H) ppm. **^{13}C NMR (125 MHz, CD_2Cl_2)** δ 167.9, 167.5, 167.1, 146.3, 145.9, 145.2, 145.1, 136.4, 132.1, 131.5, 131.3, 130.0, 129.5, 129.0, 128.2, 127.7, 127.7, 127.2, 127.0, 126.9, 125.9, 125.4, 123.5, 118.7, 103.3, 100.7, 77.6, 69.5, 68.0, 59.6, 50.5, 39.5, 37.1, 18.4, 14.0 ppm. **HRMS** (ESI) m/z calculated for $C_{39}H_{35}ClN_4O_6^+$ $[M+H]^+$: 691.2318, found 691.2315.

(*S*)-6'-Methyl-2-phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ba).



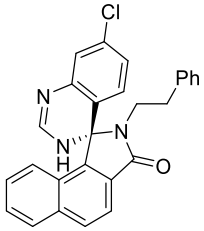
Colorless oil, 66% (27.6 mg) isolated yield, [α]D ^{25} = +96.06 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 85:15 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 14.61 min; t_R (minor) =10.49 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.99-7.92 (m, 2H), 7.85-7.80 (m, 1H), 7.57-7.48 (m, 2H), 7.43-7.36 (m, 1H), 7.25-7.14 (m, 4H), 7.14-7.04 (m, 4H), 6.28 (s, 1H), 3.70-3.62 (m, 1H), 3.33-3.23 (m, 1H), 3.15-3.05 (m, 1H), 2.66-2.57 (m, 1H), 2.02 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.0, 146.2, 144.7, 139.7, 138.9, 136.4, 136.0, 131.4, 131.2, 129.4, 129.3, 128.6, 128.5, 127.9, 127.8, 127.0, 126.5, 125.7, 124.7, 123.9, 120.4, 119.0, 75.8, 42.4, 34.7, 21.0 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{24}N_3O^+$ $[M+H]^+$: 418.1914, found 418.1912.

(*S*)-7'-Fluoro-2-phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ca).



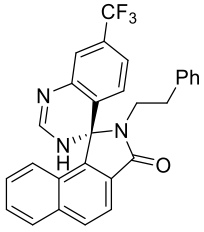
Yellow oil, 59% (27.7 mg) isolated yield, [α]D ^{25} = +95.28 (*c* = 1.0 in $CHCl_3$); 97% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 85:15 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 8.46 min; t_R (minor) =16.88 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96-7.90 (m, 2H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.57-7.51 (m, 1H), 7.48-7.39 (m, 2H), 7.25-7.14 (m, 4H), 7.06-7.02 (m, 2H), 7.01 -6.97 (m, 1H), 6.60-6.54 (m, 1H), 6.46-6.40 (m, 1H), 3.58-3.49 (m, 1H), 3.25-3.16 (m, 1H), 3.04-2.95 (m, 1H), 2.57-2.48 (m, 1H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -110.67 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 164.4, 162.5, 146.1, 145.7, 139.5, 136.4, 131.8, 129.6, 129.2, 128.7, 128.3, 128.06 (d, *J* = 6.0 Hz), 127.58 (d, *J* = 9.7 Hz), 126.9, 126.6, 123.6, 118.8, 116.7, 113.7 (d, *J* = 22.5 Hz), 75.3, 42.3, 34.6 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{21}FN_3O^+$ $[M+H]^+$: 422.1663, found 422.1664.

(*S*)-7'-Chloro-2-phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4da).



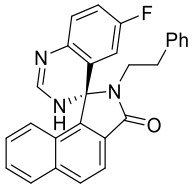
Colorless oil, 70% (30.4 mg) isolated yield, [α]D ^{25} = +71.70 (c = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 90:10 v/v, flow rate 0.7 mL/min, λ = 254 nm, 25 °C), t_R (major) = 38.75 min; t_R (minor) = 34.84 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.99-7.91 (m, 2H), 7.80 (d, *J* = 8.5 Hz, 1H), 7.57-7.51 (m, 1H), 7.46-7.39 (m, 2H), 7.33-7.29 (m, 1H), 7.25-7.15 (m, 4H), 7.09-7.04 (m, 2H), 6.84-6.78 (m, 1H), 6.38 (d, *J* = 8.5 Hz, 1H), 3.64-3.56 (m, 1H), 3.26-3.16 (m, 1H), 3.10-3.01 (m, 1H), 2.65-2.56 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.8, 146.0, 145.5, 139.5, 136.3, 135.6, 131.7, 129.5, 129.2, 128.6, 128.3, 128.0, 128.0, 126.9, 126.8, 126.6, 126.2, 123.5, 119.3, 118.8, 75.1, 42.4, 34.4 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{21}ClN_3O^+$ $[M+H]^+$: 438.1368, found 438.1369.

(*S*)-2-Phenethyl-7'-(trifluoromethyl)- 3'H- spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ea).



Colorless oil, 96% (45.3 mg) isolated yield, $[\alpha]_D$ ^{20} = +70.28 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 4.58 min; t_R (minor) = 5.17 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96-7.93 (m, 2H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.58-7.54 (m, 2H), 7.45-7.41 (m, 2H), 7.26-7.15 (m, 4H), 7.06-7.01 (m, 3H), 6.54 (d, *J* = 8.0 Hz, 1H), 5.94 (brs, 1H), 3.65-3.49 (m, 1H), 3.23-3.17 (m, 1H), 3.08-2.94 (m, 1H), 2.61-2.55 (m, 1H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -63.04 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.0, 146.2, 145.7, 139.4, 136.4, 132.4 (q, *J* = 31.5 Hz), 132.0, 129.6, 129.2, 128.7, 128.3, 128.3, 128.2, 126.8, 126.7, 126.6, 124.7, 123.4, 122.5, 122.3, 120.3, 118.8, 75.2, 42.5, 34.5 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{21}F_3N_3O^+$ $[M+H]^+$: 472.1631, found 472.1631.

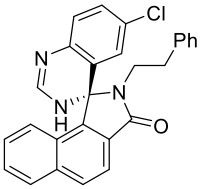
(*S*)-6'-Fluoro-2-phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4fa).



Colorless oil, 54% (22.7 mg) isolated yield, [α]D ^{25} = +72.01 (c = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 7.00 min; t_R (minor) = 5.92min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.98-7.88 (m,

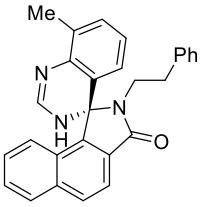
2H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.58-7.51 (m, 1H), 7.48-7.44 (m, 1H), 7.44-7.39 (m, 1H), 7.33-7.28 (m, 1H), 7.24-7.14 (m, 4H), 7.10-7.05 (m, 2H), 7.00-6.94 (m, 1H), 6.13 (dd, *J* = 9.0, 3.0 Hz, 1H), 3.66-3.56 (m, 1H), 3.36-3.27 (m, 1H), 3.10-3.00 (m, 1H), 2.64-2.55 (m, 1H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -114.78 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.7, 161.4, 159.4, 145.4, 144.9, 139.5, 138.1, 136.4, 131.8, 129.5, 129.2, 128.7, 128.4, 128.1, 128.0, 126.9, 126.7, 123.6, 122.4 (d, *J* = 7.4 Hz), 118.8, 117.8 (d, *J* = 22.6 Hz), 111.8 (d, *J* = 23.9 Hz), 75.3, 42.4, 34.6 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{20}FN_3ONa^+$ $[M+Na]^+$: 444.1485, found 444.1488.

(*S*)-6'-Chloro-2-phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ga).



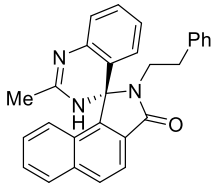
Colorless oil, 51% (22.3 mg) isolated yield, $[\alpha]D^{25}$ = +77.23 (c = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 85:15 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 10.93 min; t_R (minor) = 15.02 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.98-7.88 (m, 2H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.58-7.51 (m, 1H), 7.48-7.44 (m, 2H), 7.28-7.15 (m, 6H), 7.09-7.07 (m, 2H), 6.45 (s, 1H), 3.69-3.56 (m, 1H), 3.24-3.18 (m, 1H), 3.13-3.07 (m, 1H), 2.64-2.59 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 145.5, 145.4, 139.7, 136.5, 132.0, 131.1, 130.7, 129.7, 129.3, 128.8, 128.4, 128.2, 128.1, 126.9, 126.7, 125.4, 123.5, 122.5, 119.0, 75.1, 42.6, 34.5 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{21}ClN_3O^+$ $[M+H]^+$: 438.1373, found 438.1371.

(*S*)- 8'-Methyl- 2- phenethyl- 3'H- spiro[benzo[e]isoindole- 1,4'- quinazolin]- 3(2H)- one (4ha).



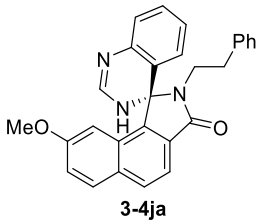
Colorless oil, 95% (39.6 mg) isolated yield, $[\alpha]_D^{20}$ = +56.36 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.2 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 23.72 min; t_R (major) = 27.15 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.93-7.89 (m, 2H), 7.79-7.75 (m, 1H), 7.53-7.49 (m, 2H), 7.39-7.36 (m, 1H), 7.31 (s, 1H), 7.23-7.13 (m, 4H), 7.03-7.00 (m, 2H), 6.75 (t, *J* = 7.5 Hz, 1H), 6.32 (d, *J* = 8.0 Hz, 1H), 3.54-3.40 (m, 1H), 3.25-3.19 (m, 1H), 3.01-2.86 (m, 1H), 2.53 (s, 3H), 2.45-2.38 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.8, 144.5, 139.6, 136.3, 132.1, 132.0, 131.3, 129.4, 129.1, 128.7, 128.6, 128.5, 127.8, 127.1, 126.5, 125.3, 123.9, 123.6, 118.9, 76.0, 42.2, 34.7, 17.9 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{24}N_3O^+$ $[M+H]^+$: 418.1914, found 418.1916.

(*S*)-2'-Methyl-2-phenethyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (4ia).



Colorless oil, 95% (39.6 mg) isolated yield, [α]D ^{25} = +38.33 (c = 1.0 in $CHCl_3$); 85% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 6.33 min; t_R (minor) = 4.57 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.97-7.88 (m, 2H), 7.79-7.70 (m, 1H), 7.58-7.49 (m, 2H), 7.46-7.39 (m, 1H), 7.38-7.25 (m, 2H), 7.24-7.13 (m, 3H), 7.05-6.96 (m, 2H), 6.85 (t, *J* = 7.5 Hz, 1H), 6.51 (d, *J* = 8.0 Hz, 1H), 5.79 (brs, 1H), 3.54-3.42 (m, 1H), 3.36-3.18 (m, 1H), 3.04-2.92 (m, 1H), 2.48-2.32 (m, 1H), 2.16 (s, 3H) ppm. **^{13}C NMR (125 MHz, CD_2Cl_2)** δ 166.5, 153.8, 139.4, 136.3, 131.1, 129.9, 129.4, 129.3, 128.7, 128.7, 128.5, 128.5, 128.4, 127.6, 127.0, 126.3, 125.7, 124.9, 123.8, 119.3, 118.7, 118.6, 77.0, 41.8, 34.8, 22.3 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{23}N_3ONa^+$ $[M+Na]^+$: 440.1739, found 440.1734.

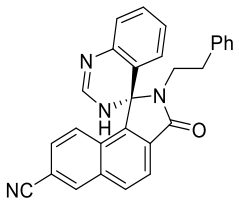
(*S*)-8-Methoxy- 2- phenethyl- 3'H- spiro[benzo[e]isoindole- 1,4'- quinazolin]- 3(2H)- one (4ja).



3-4ja

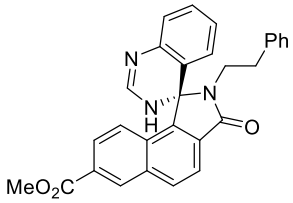
Colorless oil, 68% (29.5 mg) isolated yield, $[\alpha]_D$ ^{20} = +168.74 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 5.91 min; t_R (minor) = 9.23 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.85 (d, *J* = 8.5 Hz, 1H), 7.79 (d, *J* = 9.0 Hz, 1H), 7.66 (d, *J* = 8.5 Hz, 1H), 7.32-7.13 (m, 7H), 7.08-7.06 (m, 2H), 6.90-6.87 (m, 1H), 6.68 (d, *J* = 2.5 Hz, 1H), 6.53-6.51 (m, 1H), 3.66-3.57 (m, 1H), 3.59 (s, 3H), 3.29-3.23 (m, 1H), 3.11-3.05 (m, 1H), 2.61-2.56 (m, 1H) ppm.**^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.5, 158.7, 145.3, 145.1, 139.8, 131.8, 131.0, 130.8, 130.2, 129.3, 128.7, 128.3, 128.3, 126.6, 126.2, 125.9, 120.8, 120.6, 116.6, 101.5, 75.7, 55.3, 42.5, 34.6 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{24}N_3O_2^+$ $[M+H]^+$: 434.1863, found 434.1866.

(*S*)-3-Oxo-2-phenethyl-2,3-dihydro-3'H-spiro[benzo[e]isoindole-1,4'-quinazoline]-7-carbonitrile (4ka).



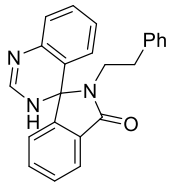
Colorless oil, 67% (29.5 mg) isolated yield, $[\alpha]_D^{20}$ = +134.01 (*c* = 1.0 in $CHCl_3$); 80% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 9.87 min; t_R (minor) = 12.19 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.31 (s, 1H), 8.07-8.01 (m, 2H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.50 (d, *J* = 8.5 Hz, 1H), 7.33-7.18 (m, 6H), 7.09-7.08 (m, 2H), 6.92-6.89 (m, 1H), 6.46 (d, *J* = 8.0 Hz, 1H), 3.65-3.59 (m, 1H), 3.33-3.26 (m, 1H), 3.09-3.03 (m, 1H), 2.61-2.56 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.0, 145.0, 139.5, 135.3, 135.2, 131.9, 131.4, 130.6, 129.2, 128.8, 128.5, 128.4, 126.7, 126.3, 125.9, 125.1, 121.1, 119.7, 118.5, 111.4, 76.0, 42.6, 34.6 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{21}N_4O^+$ $[M+H]^+$: 429.1710, found 429.1708.

(*S*)-Methyl-3-oxo-2-phenethyl-2,3-dihydro-3'H-spiro[benzo[e]isoindole- 1,4'-quinazoline]- 7-carboxylate (4la).



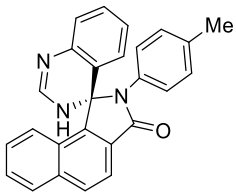
Colorless oil, 72% (33.2 mg) isolated yield, $[\alpha]_D^{20}$ = +153.84 (*c* = 1.0 in $CHCl_3$); 75% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 8.39 min; t_R (minor) = 9.82 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.65 (s, 1H), 8.11 (d, *J* = 8.5 Hz, 1H), 7.97-7.93 (m, 2H), 7.53 (d, *J* = 8.5 Hz, 1H), 7.31-7.17 (m, 6H), 7.13-7.12 (m, 2H), 6.90-6.87 (m, 1H), 6.47 (d, *J* = 8.0 Hz, 1H), 3.94 (s, 3H), 3.69-3.64 (m, 1H), 3.33-3.26 (m, 1H), 3.13-3.11 (m, 1H), 2.64-2.59 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.6, 166.4, 145.3, 139.4, 135.4, 132.7, 132.0, 130.5, 130.4, 129.1, 129.0, 128.9, 128.8, 128.7, 127.1, 126.6, 126.1, 125.9, 124.0, 119.8, 76.0, 52.6, 42.4, 34.7 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{24}N_3O_3^+$ $[M+H]^+$: 462.1812, found 462.1810.

2-Phenethyl-3'H- spiro[isoindoline- 1,4'- quinazolin]- 3- one (4oa).



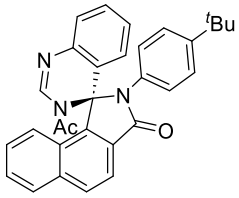
Colorless oil, 14% (4.9 mg) isolated yield, 0% *ee*, determined by HPLC analysis (Chiralpak IC- 3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) =5.31 min; t_R (minor) = 7.58 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.81 (d, *J* = 7.5 Hz, 1H), 7.56-7.48 (m, 2H), 7.33-7.16 (m, 7H), 7.06 (d, *J* = 7.0 Hz, 1H), 6.97-6.94 (m, 1H), 6.50 (d, *J* = 8.0 Hz, 1H), 3.52-3.47 (m, 1H), 3.22-3.16 (m, 1H), 3.01-2.95 (m, 1H), 2.51-2.46 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.5, 150.2, 145.1, 139.6, 133.1, 130.6, 130.1, 130.0, 129.2, 128.6, 126.6, 126.4, 125.9, 124.0, 123.1, 120.6, 75.9, 42.5, 34.5 ppm. **HRMS** (ESI) m/z calculated for $C_{23}H_{20}N_3O^+$ $[M+H]^+$:354.1601, found 354.1603.

(*S*)-2-(*p*-tolyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5aa).



Colorless oil, 83% (32.2 mg) isolated yield, $[\alpha]_D^{20}$ = -35.09 (*c* = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH = 80:20 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 21.50 min; t_R (minor) = 24.39 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.92 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.56-7.46 (m, 2H), 7.40-7.34 (m, 1H), 7.19-7.12 (m, 1H), 7.08 (s, 1H), 6.99-6.83 (m, 4H), 6.74-6.69 (m, 2H), 6.61-6.57 (m, 1H), 2.23 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.5, 145.2, 138.0, 136.5, 132.2, 131.3, 129.9, 129.8, 129.4, 128.5, 128.3, 127.9, 127.7, 127.2, 126.2, 125.4, 124.2, 120.4, 119.1, 78.2, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{20}N_3O^+$ $[M+H]^+$: 390.1601, found 390.1600.

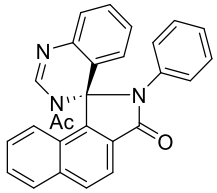
(*S*)-3'-Acetyl-2-(4-(tert-butyl)phenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ab).



Colorless oil, 53% (25.1 mg) isolated yield, $[\alpha]_D^{25}$ = -72.84 (c = 1.0 in $CHCl_3$); 91% *ee* , determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 50:50 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 8.69 min; t_R (minor) = 16.67 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.11-8.04 (m, 2H), δ 8.02-7.95 (m, 2H), 7.57-7.49 (m, 1H), 7.44-7.31 (m, 4H), 7.30-7.20 (m, 3H), 7.05 (t, *J* = 8.0 Hz, 1H), 6.79 (d, *J* = 8.0 Hz, 1H), 6.77-6.70 (m, 1H), 2.15 (s,

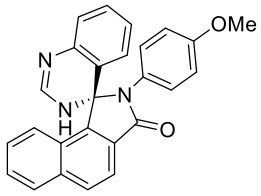
3H), 1.27 (s, 9H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.4, 167.6, 150.8, 145.2, 141.6, 138.5, 136.3, 132.0, 130.9, 130.3, 129.8, 129.7, 128.2, 127.9, 127.7, 126.9, 126.4, 126.3, 126.1, 126.0, 124.5, 122.6, 119.8, 78.8, 34.6, 31.3, 23.8 ppm. **HRMS** (ESI) m/z calculated for $C_{31}H_{27}N_3O_2Na^+$ $[M+Na]^+$: 496.2001, found 496.2003.

(*R*)-3'-acetyl-2-phenyl-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ac).



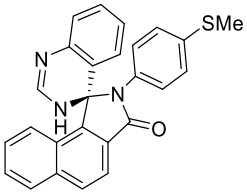
Yellow oil, 69% (28.8 mg) isolated yield, $[\alpha]_D^{20}$ = +91.61 (*c* = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 40:60 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 39.38 min; t_R (major) = 67.63 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.10-8.06 (m, 2H), 8.00-7.98 (m, 2H), 7.55-7.51 (m, 1H), 7.42-7.33 (m, 4H), 7.28-7.26 (m, 3H), 7.07-7.04 (m, 1H), 6.85- 6.83 (m, 2H), 6.79-6.77 (m, 1H), 2.15 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.5, 167.7, 145.3, 141.6, 138.7, 136.5, 135.1, 131.1, 130.4, 129.9, 129.8, 129.5, 128.3, 128.2, 128.0, 127.9, 127.1, 127.0, 126.1, 124.6, 122.7, 119.9, 79.0, 23.9 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{20}N_3O_2^+$ $[M+H]^+$: 418.1550, found 418.1555.

(*S*)-2-(4-methoxyphenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ad).



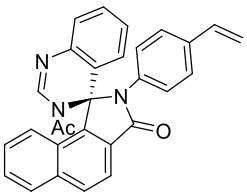
Colorless oil, 90% (36.5 mg) isolated yield, $[\alpha]_D^{20}$ = -58.52 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (major) = 13.30 min; t_R (minor) = 20.59 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96 (d, *J* = 8.0 Hz, 1H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.72-7.63 (m, 1H), 7.61-7.52 (m, 2H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.31 (s, 1H), 7.22 (t, *J* = 8.0 Hz, 1H), 7.07-6.96 (m, 1H), 6.90 (t, *J* = 7.5 Hz, 1H), 6.81-6.75 (m, 2H), 6.74-6.67 (m, 1H), 6.64 (d, *J* = 8.0 Hz, 2H), 3.72 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.4, 145.0, 138.7, 136.6, 131.9, 131.5, 130.1, 129.5, 128.8, 128.2, 128.0, 127.9, 127.1, 126.7, 126.2, 125.7, 124.5, 124.1, 120.4, 119.1, 78.3, 55.4 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}N_3O_2Na^+$ $[M+Na]^+$: 428.1375, found 428.1371.

(*R*)-2-(4-(Methylthio)phenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ae).



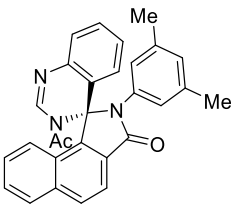
Colorless oil, 53% (22.3 mg) isolated yield, $[\alpha]_D^{25}$ = +60.18 (c = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 17.47 min; t_R (minor) = 12.39 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.93 (d, *J* = 8.0 Hz, 1H), 7.85 (d, *J* = 8.5 Hz, 1H), 7.65 (d, *J* = 8.5 Hz, 1H), 7.58-7.50 (m, 2H), 7.43-7.36 (m, 1H), 7.33 (s, 1H), 7.25-7.19 (m, 1H), 7.05-6.97 (m, 3H), 6.94-6.88 (m, 1H), 6.82-6.76 (m, 2H), 6.66-6.10 (m, 1H), 2.38 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 146.4, 145.0, 138.7, 136.6, 131.9, 131.5, 130.1, 129.5, 128.8, 128.2, 128.0, 127.9, 127.1, 126.7, 126.2, 125.7, 124.5, 124.1, 120.4, 119.1, 78.3, 15.6 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}N_3OSNa^+$ $[M+Na]^+$: 444.1147, found 444.1145.

(*S*)-3'-Acetyl-2-(4-vinylphenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5af).



Colorless oil, 47% (20.8 mg) isolated yield, $[\alpha]_D^{25}$ = -19.10 (*c* = 0.8 in $CHCl_3$); 92% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 50:50 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 23.09 min; t_R (minor) = 31.51 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.11-8.04 (m, 2H), δ 8.03-7.93 (m, 2H), 7.57-7.49 (m, 1H), 7.45-7.28 (m, 6H), 7.08-7.01 (m, 1H), 6.86-6.75 (m, 3H), 6.70-6.59 (m, 1H), 5.74-5.66 (m, 1H), 5.28-5.21 (m, 1H), 2.15 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.5, 167.7, 145.3, 141.6, 138.6, 137.2, 136.5, 136.1, 134.6, 131.1, 130.4, 129.9, 129.8, 128.3, 128.0, 127.9, 127.3, 127.1, 126.9, 126.1, 126.1, 124.6, 122.7, 119.8, 114.8, 79.0, 23.9 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{21}N_3O_2Na^+$ $[M+Na]^+$: 466.1531, found 424. 466.1527.

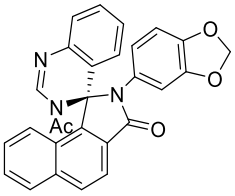
(*R*)-3'-Acetyl-2-(3,5-dimethylphenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ag).



Colorless oil, 52% (23.1 mg) isolated yield, $[\alpha]_D^{20}$ = +88.54 (*c* = 1.0 in $CHCl_3$); 91% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 40:60 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (minor) = 21.01 min; t_R (major) = 36.23 min; **^{1}H NMR (500 MHz,**

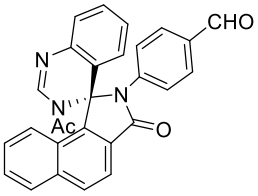
Chloroform-*d*) δ 8.11-8.05 (m, 2H), 8.00-7.94 (m, 2H), 7.54-7.51 (m, 1H), 7.42-7.33 (m, 4H), 7.06-7.02 (m, 1H), 6.92-6.85 (m, 1H), 6.75-6.73 (m, 1H), 6.38 (s, 2H), 2.16 (s, 6H), 2.15 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.6, 167.5, 145.2, 141.6, 139.0, 138.9, 136.4, 134.6, 131.0, 130.4, 130.1, 130.0, 129.9, 128.2, 127.9, 127.8, 126.8, 126.1, 126.1, 124.9, 124.6, 122.7, 119.9, 78.9, 23.9, 21.4 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{23}NaO_2^+$ $[M+Na]^+$: 468.1682, found 468.1682.

(*S*)-3'-Acetyl-2-(benzo[d][1,3]dioxol-5-yl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ah).



Colorless oil, 51% (23.4 mg) isolated yield, $[\alpha]_D^{25}$ = -44.63 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 50:50 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 20.56 min; t_R (minor) = 26.88 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.11-8.04 (m, 2H), δ 8.03-7.93 (m, 2H), 7.58-7.48 (m, 1H), 7.44-7.30 (m, 4H), 7.04 (t, *J* = 7.5 Hz, 1H), 6.76-6.63 (m, 2H), 6.28-6.18 (m, 2H), 5.94 (s, 2H), 2.20 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.6, 167.7, 148.3, 147.7, 145.0, 141.6, 138.8, 136.4, 131.0, 130.5, 129.9, 129.9, 128.3, 128.2, 127.9, 127.9, 127.0, 126.1, 126.0, 124.3, 122.7, 121.5, 119.9, 108.8, 108.7, 101.7, 78.9, 24.0 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{19}N_3O_4Na^+$ $[M+Na]^+$: 484.1273, found 484.1271.

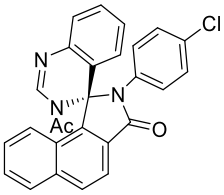
(*R*)-4-(3'-Acetyl-3-oxo-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-2(3H)-yl)benzaldehyde (5ai).



Yellow oil, 56% (22.4 mg) isolated yield, 90% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 40:60 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (minor) = 51.16 min; t_R (major) = 63.72 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.94 (s, 1H), 8.10-8.06 (m, 2H), 8.03-7.98 (m, 2H), 7.81-7.79 (m, 2H), 7.57-7.53 (m, 1H), 7.49-7.47 (m, 1H), 7.43-7.36 (m, 3H), 7.21-7.19 (m, 2H), 7.08-7.05 (m, 1H), 6.81-6.79 (m, 1H), 2.13 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 191.3, 169.3, 167.9, 145.4, 141.5, 141.4, 138.3, 136.8, 134.6, 131.4, 130.8,

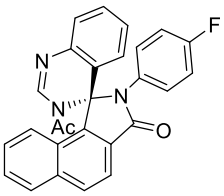
130.8, 130.1, 129.0, 128.7, 128.3, 128.2, 127.4, 126.0, 125.9, 125.6, 124.4, 122.6, 119.7, 79.2, 23.8 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{20}N_3O_3^+$ $[M+H]^+$: 446.1499, found 446.1499.

(*R*)-3'-acetyl-2-(4-chlorophenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5aj).



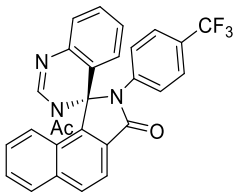
Yellow oil, 68% (30.7 mg) isolated yield, $[\alpha]_D^{20}$ = +79.72 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 31.69 min; t_R (major) = 40.80 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.08-8.04 (m, 2H), 7.99-7.98 (m, 2H), 7.55-7.52 (m, 1H), 7.44-7.34 (m, 4H), 7.25-7.23 (m, 2H), 7.07-7.04 (m, 1H), 6.80-6.75 (m, 3H), 2.16 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.5, 167.7, 145.1, 141.5, 138.5, 136.6, 133.8, 133.8, 131.2, 130.6, 130.0, 129.7, 129.5, 128.5, 128.2, 128.1, 128.0, 127.2, 126.0, 124.3, 122.6, 119.7, 78.9, 23.8 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{19}ClN_3O_2^+$ $[M+H]^+$: 452.1160, found 452.1156.

(*R*)-3'-Acetyl-2-(4-fluorophenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ak).



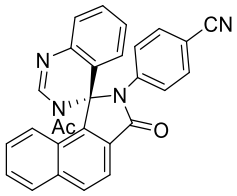
Yellow oil, 66% (28.9 mg) isolated yield, $[\alpha]_D^{20}$ = +94.89 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 13.02 min; t_R (major) = 31.20 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.09-8.06 (m, 2H), 8.00-7.97 (m, 2H), 7.56-7.51 (m, 1H), 7.42-7.34 (m, 4H), 7.08-7.04 (m, 1H), 6.99-6.94 (m, 2H), 6.81-6.75 (m, 3H), 2.17 (s, 3H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -112.68 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.6, 167.7, 163.1, 161.2, 145.0, 141.5, 138.7, 136.5, 131.1, 130.9, 130.9, 130.6, 130.0, 129.7, 129.2, 129.2, 128.5, 128.1, 128.0, 127.1, 126.1, 126.0, 124.3, 122.7, 119.8, 116.7, 116.5, 78.9, 23.9 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{19}N_3O_2^+$ $[M+H]^+$: 436.1456, found 436.1465.

(*R*)-3'-Acetyl-2-(4-(trifluoromethyl)phenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5al).



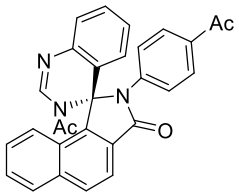
Yellow oil, 46% (22.4 mg) isolated yield, $[\alpha]_D^{20}$ = +101.93 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 8.36 min; t_R (major) = 15.41 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.09-8.06 (m, 2H), 8.02-7.98 (m, 2H), 7.56-7.53 (m, 3H), 7.48-7.46 (m, 1H), 7.43-7.36 (m, 3H), 7.09-7.05 (m, 3H), 6.81-6.79 (m, 1H), 2.15 (s, 3H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -62.60 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.4, 167.8, 145.2, 141.5, 138.9, 138.4, 136.7, 131.3, 130.7, 130.0, 129.5, 129.2, 128.6, 128.2, 128.1, 127.3, 126.6 (q, *J* = 3.7 Hz), 126.1, 126.0, 126.0, 125.0, 124.3, 122.8, 122.5, 119.7, 79.0, 23.8 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{19}F_3N_3O_2^+$ $[M+H]^+$: 486.1424, found 486.1424.

(*R*)-4-(3'-Acetyl-3-oxo-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-2(3H)-yl)benzonitrile (5am).



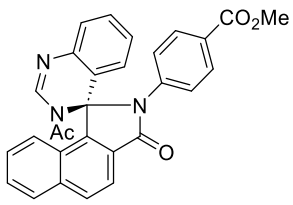
Yellow oil, 59% (27.1 mg) isolated yield, $[\alpha]_D^{20}$ = +13.76 (*c* = 1.0 in $CHCl_3$); 93% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 37.12 min; t_R (major) = 47.55 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.09-8.05 (m, 3H), 8.00-7.98 (m, 1H), 7.57-7.53 (m, 3H), 7.50-7.48 (m, 1H), 7.43-7.36 (m, 3H), 7.17-7.15 (m, 2H), 7.07-7.04 (m, 1H), 6.79-6.77 (m, 1H), 2.14 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.3, 167.8, 145.2, 141.5, 140.1, 138.2, 136.8, 133.3, 131.5, 130.8, 130.1, 128.8, 128.7, 128.3, 128.3, 127.4, 125.9, 125.8, 125.5, 124.2, 122.5, 119.6, 118.4, 110.5, 79.1, 23.8 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{18}N_4O_2^+$ $[M+H]^+$: 443.1503, found 443.1505.

(*R*)-3'-Acetyl-2-(4-acetylphenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5an).



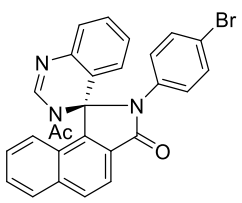
Yellow oil, 53% (24.4 mg) isolated yield, $[\alpha]_D^{20}$ = +13.15 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 40:60 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ℃), t_R (minor) = 58.68 min; t_R (major) = 92.94 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.09-8.05 (m, 2H), 8.02-7.97 (m, 2H), 7.89-7.84 (m, 2H), 7.56-7.52 (m, 1H), 7.47-7.45 (m, 1H), 7.42-7.35 (m, 3H), 7.10-7.04 (m, 3H), 6.81-6.79 (m, 1H), 2.59 (s, 3H), 2.13 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 197.3, 169.4, 167.8, 145.3, 141.6, 140.1, 138.4, 136.7, 135.6, 131.3, 130.7, 130.0, 129.6, 129.1, 128.6, 128.2, 128.1, 127.3, 126.0, 126.0, 125.5, 124.4, 122.6, 119.7, 79.1, 26.7, 23.8 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{22}N_3O_3^+$ $[M+H]^+$: 460.1656, found 460.1569.

Methyl-(*S*)-4-(3'-acetyl-3-oxo-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-2(3H)yl)benzoate (5ao).



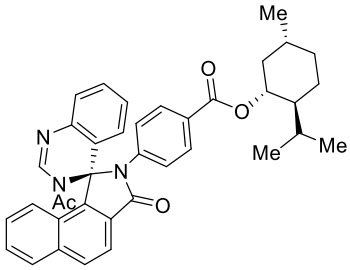
Colorless oil, 77% (36.6 mg) isolated yield, $[\alpha]_D^{25}$ = -28.93 (*c* = 1.0 in $CHCl_3$); 96% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 50:50 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 16.82 min; t_R (minor) = 20.44 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.10-8.03 (m, 2H), δ 8.02-7.88 (m, 4H), 7.56-7.49 (m, 1H), 7.47-7.42 (m, 1H), 7.42-7.31 (m, 3H), 7.08-6.98 (m, 3H), 6.81-6.74 (m, 1H), 3.87 (s, 3H) , 2.12 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.3, 167.8, 166.4, 145.3, 141.5, 139.9, 138.3, 136.6, 131.2, 130.8, 130.6, 130.0, 129.1, 128.8, 128.5, 128.1, 128.0, 127.2, 126.0, 125.9, 125.5, 124.3, 122.5, 119.6, 79.0, 52.3, 23.7 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{21}N_3O_4Na^+$ $[M+Na]^+$: 498.1430, found 498.1425.

(*S*)-3'-acetyl-2-(4-bromophenyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ap).



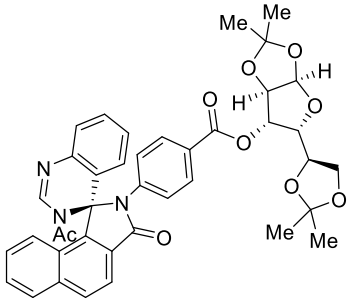
Colorless oil, 77% (36.6 mg) isolated yield, $[\alpha]_D^{25}$ = -49.35 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH, 50:50 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 8.17 min; t_R (minor) = 20.91 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.10-8.04 (m, 2H), δ 8.02-7.95 (m, 2H), 7.57-7.50 (m, 1H), 7.46-7.33 (m, 6H), 7.08-7.02 (m, 1H), 6.80-6.70 (m, 3H), 2.17 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.5, 167.6, 145.1, 141.5, 138.5, 136.6, 134.3, 132.7, 131.2, 130.6, 130.0, 129.5, 128.5, 128.4, 128.0, 128.0, 127.2, 126.0, 126.0, 124.3, 122.6, 121.9, 119.7, 78.9, 23.9 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{18}N_3O_2BrNa^+$ $[M+Na]^+$: 518.0480, found 518.0481.

(1*R*,2*S*,5*R*)- 2-Isopropyl-5-methylcyclohexyl 4-((*S*)-3'-acetyl-3-oxo-3'H- spiro[benzo[e]isoindole-1,4'-quinazolin]- 2(3H)- yl)benzoate (5aq).



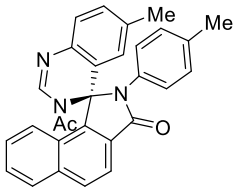
Colorless oil, 62% (37.1 mg) isolated yield, $[\alpha]_D^{20}$ = -77.42 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.7 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 13.50 min; t_R (minor) = 36.95 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.07-8.04 (m, 3H), 7.99-7.94 (m, 3H), 7.54-7.51 (m, 1H), 746-7.45 (m, 1H), 7.41-7.34 (m, 3H), 7.06-7.02 (m, 3H), 6.79 (d, *J* = 8.0 Hz, 1H), 4.92-4.87 (m, 1H), 2.14 (s, 3H), 2.11-2.07 (m, 1H), 1.94-1.88 (m, 1H), 1.74-1.69 (m, 2H), 1.57-1.48 (m, 2H), 1.30-1.23 (m, 1H), 1.15-1.03 (m, 2H), 0.92-0.88 (m, 6H), 0.77 (d, *J* = 6.0 Hz, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.4, 167.7, 165.5, 145.3, 141.7, 139.6, 138.3, 136.6, 131.2, 130.8, 130.6, 130.0, 129.7, 129.2, 128.5, 128.1, 128.0, 127.2, 126.0, 126.0, 125.6, 124.4, 122.5, 119.7, 79.0, 75.1, 47.3, 41.0, 34.4, 31.5, 26.5, 23.8, 23.7, 22.1, 20.9, 16.6 ppm. **HRMS** (ESI) m/z calculated for $C_{38}H_{38}N_3O_4^+$ $[M+H]^+$: 600.2857, found 600.2857.

(3a*R*,5*R*,6*S*,6a*R*)-5-((*R*)-2,2-dimethyl-1,3-dioxolan-4-yl)-2,2-dimethyltetrahydrofuro[2,3-d][1,3]dioxol-6-yl 4-((*S*)-3'-acetyl-3-oxo-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-2(3H)-yl)benzoate (5ar).



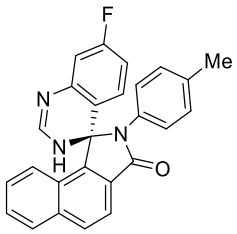
Colorless oil, 46% (31.7 mg) isolated yield, $[\alpha]_D^{20}$ = -13.79 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.7 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 29.41 min; t_R (minor) = 90.36 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.09-8.05 (m, 2H), 8.01-7.98 (m, 2H), 7.94-7.92 (m, 2H), 7.59-7.52 (m, 1H), 7.49-7.46 (m, 1H), 7.41-7.36 (m, 3H), 7.08-7.06 (m, 3H), 6.80-6.78 (m, 1H), 5.93-5.92 (m, 1H), 5.47-5.46 (m, 1H), 4.59 (d, *J* = 4.5 Hz, 1H), 4.33 -4.28 (m, 2H), 4.14-4.04 (m, 2H), 2.13 (s, 3H), 1.54 (s, 3H), 1.40 (s, 3H), 1.31 (s, 3H), 1.25 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.3, 167.8, 164.6, 145.3, 141.5, 140.5, 138.4, 136.7, 131.4, 130.9, 130.7, 130.6, 130.0, 129.1, 128.6, 128.2, 128.1, 128.1, 127.4, 126.0, 126.0, 125.6, 124.4, 122.6, 119.7, 112.5, 109.6, 105.2, 83.5, 80.0, 79.1, 72.6, 67.4, 27.0, 26.9, 26.3, 25.4, 23.8ppm. **HRMS** (ESI) m/z calculated for $C_{40}H_{38}N_3O_9^+$ $[M+H]^+$: 704.2603, found 704.2601.

(*S*)-3'-Acetyl-2-(*p*-tolyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ba).



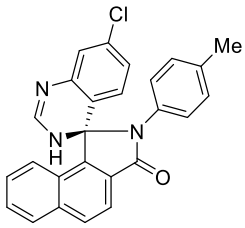
Colorless oil, 58% (25.0 mg) isolated yield, $[\alpha]_D^{25}$ = -81.51 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH=50:50 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 14.47 min; t_R (minor) = 27.18 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.12-8.03 (m, 2H), 7.98 (d, *J* = 8.0 Hz, 1H), 7.92 (s, 1H), 7.56-7.49 (m, 1H), 7.44-7.34 (m, 2H), 7.32-7.27 (m, 1H), 7.17-7.11 (m, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.70 (d, *J* = 8.0 Hz, 2H), 6.58-6.54 (m, 1H), 2.29 (s, 3H), 2.13 (s, 3H), 2.09 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.5, 167.7, 145.2, 140.8, 138.3, 138.0, 136.4, 136.4, 132.2, 131.3, 130.9, 130.1, 129.9, 129.8, 127.8, 127.8, 126.9, 126.8, 126.1, 126.1, 124.1, 122.7, 119.9, 78.9, 23.9, 21.4, 21.2 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{24}N_3O_2^+$ $[M+H]^+$: 446.1869, found 446.1912.

(*R*)-7'-Fluoro-2-(*p*-tolyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ca).



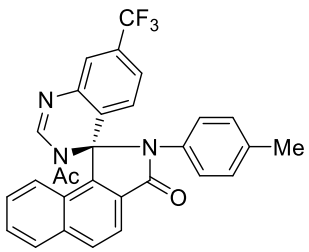
Colorless oil, 56% (22.8 mg, contains 5% of impurities that cannot be separated) isolated yield, $[\alpha]_D^{25}$ = +49.80 (c = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH, 90:10 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 25.31 min; t_R (minor) = 22.65 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.92 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 8.5 Hz, 1H), 7.62-7.48 (m, 2H), 7.47-7.36 (m, 2H), 7.02 (s, 1H), 6.98-6.92 (m, 2H), 6.72-6.66 (m, 2H), 6.64-6.46 (m, 3H), 2.24 (s, 3H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -110.75 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 164.1, 162.2, 145.8, 138.3, 136.5, 131.9, 131.5, 129.9, 129.6, 129.4, 128.5, 128.1, 128.1, 127.9, 124.0, 120.0, 119.0, 112.89 (d, *J* = 23.0 Hz), 77.9, 21.2 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}FN_3O^+$ $[M+H]^+$: 408.1507, found 408.1503.

(*R*)-7'-Chloro-2-(p-tolyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5da).



White solid, 75% (31.9 mg) isolated yield, $[\alpha]_D^{25}$ = +57.95 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH, 90:10 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 16.85 min; t_R (minor) = 14.56 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.93 (d, *J* = 8.5 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.60-7.50 (m, 2H), 7.49-7.39 (m, 2H), 7.12 (s, 1H), 7.02-6.94 (m, 3H), 6.85-6.81 (m, 1H), 6.75-6.69 (m, 2H), 6.49 (d, *J* = 8.5 Hz, 1H), 2.26 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.8, 145.8, 138.3, 136.4, 135.3, 131.7, 131.5, 129.9, 129.4, 128.4, 128.1, 128.0, 127.9, 127.3, 126.9, 125.6, 123.9, 119.1, 118.9, 21.2 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}ClN_3O^+$ $[M+H]^+$: 424.1211, found 424.1208.

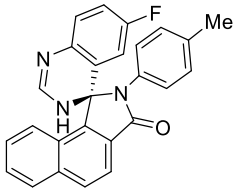
(*S*)-3'-Acetyl- 2- (*p*-tolyl)- 7'-(trifluoromethyl)- 3'H-spiro[benzo[e]isoindole-1,4'- quinazolin]-3(2H)-one (5ea).



Colorless oil, 44% (22.0 mg) isolated yield, $[\alpha]_D^{20}$ = -37.60 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 11.46 min; t_R (minor) = 31.48 min; **^{1}H**

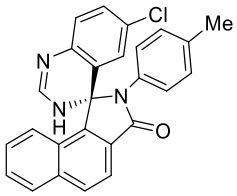
NMR (500 MHz, Chloroform-*d*) δ 8.11-8.07 (m, 2H), 8.04 (s, 1H), 8.01 (d, *J* = 8.0 Hz, 1H), 7.66 (s, 1H), 7.57-7.54 (m, 1H), 7.43-7.40 (m, 1H), 7.33 (d, *J* = 8.5 Hz, 1H), 7.27-7.25 (m, 1H), 7.10-7.09 (m, 2H), 6.88 (d, *J* = 8.5 Hz, 1H), 6.68-6.67 (m, 2H), 2.31 (s, 3H), 2.18 (s, 3H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -63.01 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.5, 167.6, 144.8, 143.0, 139.4, 138.6, 136.5, 132.6 (q, *J* = 34.0 Hz), 131.9, 131.4, 130.4, 130.1, 129.9, 128.3, 128.3, 128.1, 127.1, 127.0, 126.0, 124.5 (q, *J* = 3.8 Hz), 124.1 (q, *J* = 3.8 Hz), 122.3, 119.9, 78.5, 23.9, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{21}F_3N_3O_2^+$ $[M+H]^+$: 500.1580, found 500.1583.

(*R*)-6'-Fluoro-2-(*p*-tolyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5fa).



Colorless oil, 46% (18.9 mg) isolated yield, $[\alpha]_D^{25}$ = +37.31 (*c* = 1.0 in $CHCl_3$); 92% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH, 85:15 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 32.19 min; t_R (minor) = 42.23 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.96 (d, *J* = 8.0 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.62-7.55 (m, 1H), 7.55-7.47 (m, 2H), 7.46-7.37 (m, 1H), 7.16 (s, 1H), 7.05-6.94 (m, 3H), 6.94-6.86 (m, 1H), 6.75-6.70 (m, 2H), 6.30-6.24 (m, 1H), 2.27 (s, 3H) ppm. **^{19}F NMR (470 MHz, Chloroform-*d*)** δ -115.42 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.7, 161.1, 159.1, 145.4, 144.7, 138.3, 136.6, 131.8, 131.7, 129.9, 129.5, 128.4, 128.2, 128.2, 128.0, 127.0, 124.0, 118.9, 117.6 (d, *J* = 22.6 Hz), 112.0 (d, *J* = 23.5 Hz), 77.7, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{18}N_3OFNa^+$ $[M+Na]^+$: 430.1332, found 430.1334.

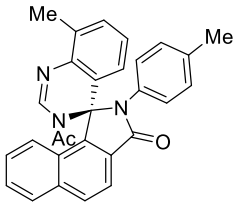
(*S*)-6'-Chloro -2-(p-tolyl)-3'H-spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)-one (5ga).



Colorless oil, 71% (30.3 mg) isolated yield, $[\alpha]_D^{25}$ = -63.97 (*c* = 1.0 in $CHCl_3$); 88% *ee*, determined by HPLC analysis (Chiralpak IA-3 column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 ^{O}C), t_R (major) = 26.10 min; t_R (minor) = 16.38 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.03-7.93 (m, 1H), 7.86-7.76 (m, 1H), 7.65-7.54 (m, 1H), 7.53-7.32 (m, 3H), 7.19-7.04 (m, 2H), 7.02-6.80 (m, 3H), 6.78-6.64 (m, 2H), 6.61-6.52 (m, 1H), 2.26 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.7, 145.2, 138.2, 136.5, 131.7, 131.7, 130.2, 130.1, 129.9, 129.4,

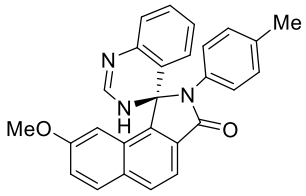
128.8, 128.6, 128.4, 128.1, 128.0, 127.9, 126.9, 125.5, 123.9, 118.8, 77.5, 21.2 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{19}N_3OCl^+$ $[M+H]^+$: 424.1217, found 424.1220.

(*S*)-3'-Acetyl- 8'-methyl- 2-(*p*-tolyl)-3'H-spiro[benzo[e]isoindole- 1,4'-quinazolin]- 3(2H)- one (5ha).



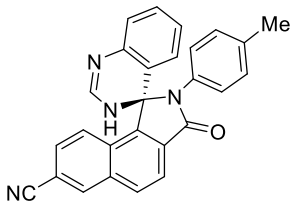
Yellow oil, 59% (26.3 mg) isolated yield, $[\alpha]_D^{20}$ = -62.33 (*c* = 1.0 in $CHCl_3$); 92% *ee*, determined by HPLC analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH = 70:30 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (minor) = 8.20 min; t_R (major) = 28.54 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.08-8.04 (m, 2H), 8.01 (s, 1H), 7.97 (d, *J* = 8.5 Hz, 1H), 7.53-7.50 (m, 1H), 7.42-7.36 (m, 2H), 7.19 (d, *J* = 7.5 Hz, 1H), 7.07-7.05 (m, 2H), 6.93-6.90 (m, 1H), 6.69-6.67 (m, 2H), 6.59 (d, *J* = 8.0 Hz, 1H), 2.51 (s, 3H), 2.30 (s, 3H), 2.14 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.6, 167.7, 145.4, 140.5, 138.1, 137.1, 136.4, 135.0, 132.3, 131.6, 130.8, 130.2, 130.0, 129.9, 127.8, 127.7, 127.6, 127.2, 126.2, 124.3, 123.8, 122.8, 119.9, 79.0, 23.8, 21.3, 17.6 ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{24}N_3O_2^+$ $[M+H]^+$: 446.1863, found 446.1864.

(*R*)-8-Methoxy- 2- (p- tolyl)- 3'H- spiro[benzo[e]isoindole- 1,4'- quinazolin]- 3(2H)-one (5ja).



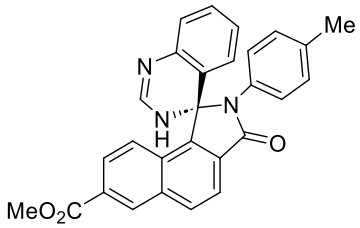
Yellow oil, 60% (25.0 mg) isolated yield, $[\alpha]_D^{20}$ = -47.46 (*c* = 1.0 in $CHCl_3$); 94% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (minor) = 8.75 min; t_R (major) = 13.81 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.83-7.80 (m, 2H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.24-7.16 (m, 3H), 7.05-7.03 (m, 1H), 6.98-6.97 (m, 2H), 6.92-6.89 (m, 1H), 6.80-6.78 (m, 2H), 6.71-6.67 (m, 2H), 3.56 (s, 3H), 2.26 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.2, 158.6, 145.0, 137.8, 132.4, 132.0, 130.9, 130.8, 130.0, 129.9, 128.5, 128.2, 128.2, 126.3, 125.7, 120.8, 116.9, 101.9, 78.0, 55.2, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{22}N_3O_2^+$ $[M+H]^+$: 420.1707, found 420.1704.

(*R*)-3-Oxo- 2- (*p*-tolyl)- 2,3-dihydro-3'H-spiro[benzo[e]isoindole- 1,4'-quinazoline]-7-carbonitrile (5ka).



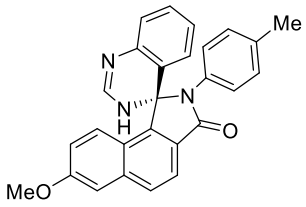
Colorless oil, 50% (20.6 mg) isolated yield, $[\alpha]_D^{20}$ = -8.27 (*c* = 1.0 in $CHCl_3$); 85% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (minor) = 16.40 min; t_R (major) = 33.84 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.34-8.32 (m, 1H), 8.06-7.97 (m, 2H), 7.63-7.60 (m, 1H), 7.52-7.49 (m, 1H), 7.24-7.19 (m, 2H), 7.02-6.87 (m, 4H), 6.75-6.73 (m, 2H), 6.64-6.61 (m, 1H), 2.25 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.1, 145.0, 138.3, 135.3, 135.3, 135.3, 135.2, 132.1, 131.6, 131.3, 131.3, 130.2, 129.9, 128.7, 128.7, 128.5, 128.1, 126.4, 125.5, 121.5, 121.5, 118.6, 111.3, 78.8, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{19}N_4O^+$ $[M+H]^+$: 415.1553, found 415.1557.

(*S*)-Methyl-3-oxo-2-(*p*-tolyl)-2,3-dihydro-3'H-spiro[benzo[e]isoindole-1,4'-quinazoline]-7-carboxylate (5la).



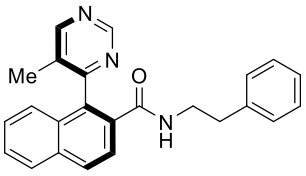
Colorless oil, 83% (37.3 mg) isolated yield, $[\alpha]_D^{20}$ = +9.50 (*c* = 1.0 in $CHCl_3$); 91% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 14.04 min; t_R (major) = 22.87 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.59 (s, 1H), 7.99 (d, *J* = 8.5 Hz, 1H), 7.88 (d, *J* = 8.5 Hz, 1H), 7.80 (d, *J* = 8.5 Hz, 1H), 7.50 (d, *J* = 8.5 Hz, 1H), 7.26-7.18 (m, 2H), 7.00-6.87 (m, 4H), 6.77-6.75 (m, 2H), 6.59 (d, *J* = 7.5 Hz, 1H), 3.96 (s, 3H), 2.26 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.7, 166.4, 146.4, 145.1, 138.2, 135.6, 132.6, 132.1, 1312.0, 130.4, 130.1, 129.9, 129.6, 129.3, 129.0, 128.5, 127.0, 126.2, 125.6, 124.4, 120.1, 78.4, 52.7, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{22}N_3O_3^+$ $[M+H]^+$: 448.1656, found 448.1658.

(*S*)-7-Methoxy-2-(*p*-tolyl)-3'H- spiro[benzo[e]isoindole-1,4'-quinazolin]-3(2H)- one (5ma).

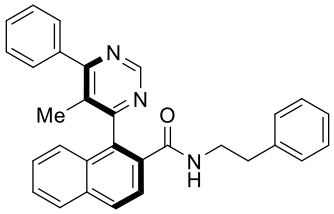


Colorless oil, 79% (32.9 mg) isolated yield, $[\alpha]_D^{20}$ = +18.08 (*c* = 1.0 in $CHCl_3$); 89% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ℃), t_R(minor) = 10.17 min; t_R(major) = 16.23 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.83 (d, *J* = 8.5 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.44 (d, *J* = 9.5 Hz, 1H), 7.24-7.24 (m, 1H), 7.20-7.17 (m, 1H), 7.09-7.04 (m, 2H), 6.97-6.87 (m, 4H), 6.67-6.63 (m, 3H), 3.91 (s, 3H), 2.24 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.0, 159.1, 145.0, 138.4, 137.9, 132.3, 130.0, 129.9, 129.8, 129.5, 128.4, 126.3, 126.2, 125.7, 125.5, 122.5, 120.5, 120.0, 107.6, 77.9, 55.5, 21.3 ppm. **HRMS** (ESI) m/z calculated for $C_{27}H_{21}N_3O_2^+$ $[M+H]^+$: 420.1707, found 420.1706.

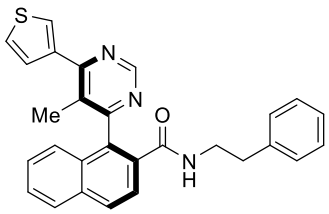
2.3 Inefficient heterobiaryl triflates.



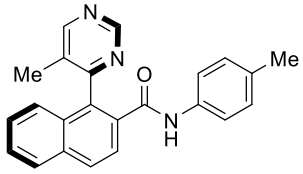
4pa: 63% yield, 70% *ee*



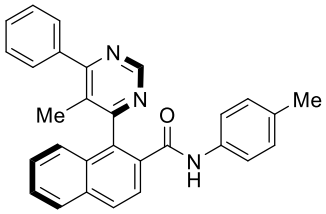
4qa: 72% yield, 95% *ee*



4ra: 67% yield, 92% *ee*



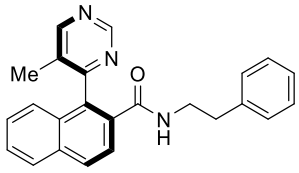
5pa [b], 76% yield, 0% *ee*



5qa [b], 67% yield, 70% *ee*

[b] (*S*, *S*)-**L6** was used as chiral Ligand.

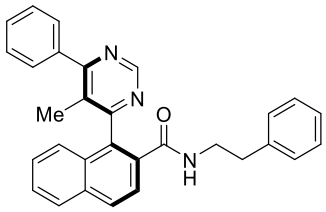
(*S*)-1-(5-Methylpyrimidin- 4-yl)-*N*-phenethyl-2-naphthamide (4pa).



Yellow oil, 63% (23.1 mg) isolated yield, $[\alpha]_D^{20}$ = -43.38 (*c* = 0.5 in $CHCl_3$); 69% *ee*, determined by HPLC analysis (Chiralpak IC- 3 column, *n*- hexane/*i*- PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ^{O}C), t_R (major) = 9.43 min; t_R (minor) = 16.60 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.01 (s, 1H), 8.65 (s, 1H), 7.90 - 7.88 (m, 2H), 7.64 (d, *J* = 8.5

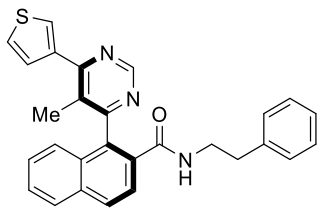
Hz, 1H), 7.56 - 7.53 (m, 1H), 7.45 - 7.41 (m, 1H), 7.32 - 7.29 (m, 2H), 7.25 - 7.22 (m, 1H), 7.15 - 7.09 (m, 3H), 6.25 - 6.22 (m, 1H), 3.53 - 3.46 (m, 1H), 3.43 - 3.36 (m, 1H), 2.70 - 2.59 (m, 2H), 1.97 (s, 3H) ppm. **^{13}C NMR (126 MHz, Chloroform-*d*)** δ 168.5, 165.5, 157.9, 156.3, 138.6, 134.1, 133.4, 132.9, 131.9, 130.4, 129.6, 128.8, 128.8, 128.6, 127.7, 127.4, 126.7, 125.4, 124.4, 40.9, 35.4, 16.0 ppm. **HRMS** (ESI) m/z calculated for $C_{24}H_{22}N_3O^+$ $[M+H]^+$: 368.1757, found 368.1755.

(*S*)-1-(5-Methyl- 6- phenylpyrimidin-4-yl)-*N*-phenethyl- 2- naphthamide (4qa).



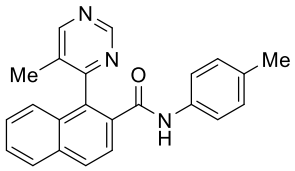
Yellow oil, 72% (31.6 mg) isolated yield, $[\alpha]_D^{20}$ = - 2.11 (*c* = 1.0 in $CHCl_3$); 95% *ee*, determined by HPLC analysis (Chiralpak IC- 3 column, *n*- hexane/*i*- PrOH = 50:50 (v/v), flow rate 0.8 mL/min, λ = 254 nm, 25 ^{O}C), t_R (minor) = 8.64 min; t_R (major) =11.65 min; **^{1}H NMR (500 MHz, Chloroform- *d*)** δ 9.08 (s, 1H), 7.90 - 7.87 (m, 2H), 7.70 - 7.65 (m, 3H), 7.57 - 7.53 (m, 1H), 7.52 - 7.46 (m, 4H), 7.31 - 7.20 (m, 4H), 7.16 - 7.14 (m, 2H), 6.31 - 6.29 (m, 1H), 3.57 - 3.50 (m, 1H), 3.46 - 3.39 (m, 1H), 2.73 - 2.67 (m, 1H), 2.66 - 2.5 (m, 1H), 2.30 (s, 3H) ppm. **^{13}C NMR (126 MHz, Chloroform- *d*)** δ 168.7, 166.7, 166.3, 155.7, 138.6, 138.1, 134.2, 134.0, 133.0, 130.5, 129.6, 129.5, 129.3, 129.2, 128.8, 128.8, 128.6, 128.5, 127.7, 127.4, 126.7, 125.6, 124.5, 41.1, 35.5, 16.4 ppm. **HRMS** (ESI) m/z calculated for $C_{30}H_{26}N_3O^+$ $[M+H]^+$: 444.2070, found 444.2071.

(*S*)-1-(5-Methyl- 6- (thiophen- 3- yl)pyrimidin-4-yl)-*N*-phenethyl- 2- naphthamide (4ra).



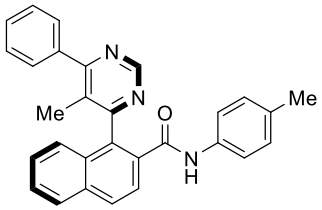
Yellow oil, 67% (30.0 mg) isolated yield, $[\alpha]_D^{20}$ = +1.01 (*c* = 1.0 in $CHCl_3$); 92% *ee*, determined by HPLC analysis (Chiralpak IC- 3 column, *n*- hexane/*i*- PrOH = 70:30 (v/v), flow rate 0.7 mL/min, λ = 254 nm, 25 ^{O}C), t_R (minor) = 15.84 min; t_R (major) = 27.95 min; **^{1}H NMR (500 MHz, Chloroform- *d*)** δ 9.05 (s, 1H), 7.94 - 7.90 (m, 2H), 7.87 - 7.86 (m, 1H), 7.69 - 7.63 (m, 2H), 7.57 - 7.53 (m, 1H), 7.46 - 7.42 (m, 2H), 7.29 - 7.19 (m, 4H), 7.12 - 7.11 (m, 2H), 6.27 - 6.25 (m, 1H), 3.53 - 3.46 (m, 1H), 3.42 - 3.35 (m, 1H), 2.69 - 2.56 (m, 2H), 2.13 (s, 3H) ppm. **^{13}C NMR (126 MHz, Chloroform- *d*)** δ 168.7, 166.6, 160.7, 155.7, 139.6, 138.5, 134.2, 134.1, 133.1, 130.6, 129.5, 128.9, 128.8, 128.7, 128.6, 128.5, 128.4, 127.7, 127.4, 126.7, 125.9, 125.7, 124.6, 41.0, 35.4, 16.8 ppm. **HRMS** (ESI) m/z calculated for $C_{28}H_{24}N_3OS^+$ $[M+H]^+$: 450.1635, found 450.1635.

1-(5-Methylpyrimidin-4-yl)-N-(p-tolyl)-2-naphthamide (5pa).



Colorless oil, 76% (26.8 mg) isolated yield, 0% *ee*, determined by HPLC analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH = 90:10 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 9.72 min; t_R (major) = 14.09 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.21 (s, 1H), 8.69 (s, 1H), 8.04 (s, 1H), 7.96-7.91 (m, 2H), 7.84-7.83 (m, 1H), 7.59-7.56 (m, 1H), 7.49-7.45 (m, 1H), 7.22-7.14 (m, 3H), 7.05-7.04 (m, 2H), 2.28 (s, 3H), 1.99 (s, 3H). **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.5, 165.3, 158.4, 156.4, 135.1, 134.5, 134.3, 133.2, 133.1, 132.1, 130.4, 129.8, 129.6, 128.8, 127.9, 127.6, 125.5, 124.8, 120.0, 21.0, 16.0. **HRMS** (ESI) m/z calculated for $C_{23}H_{20}N_3O^+$ $[M+H]^+$: 354.1601, found 354.1601.

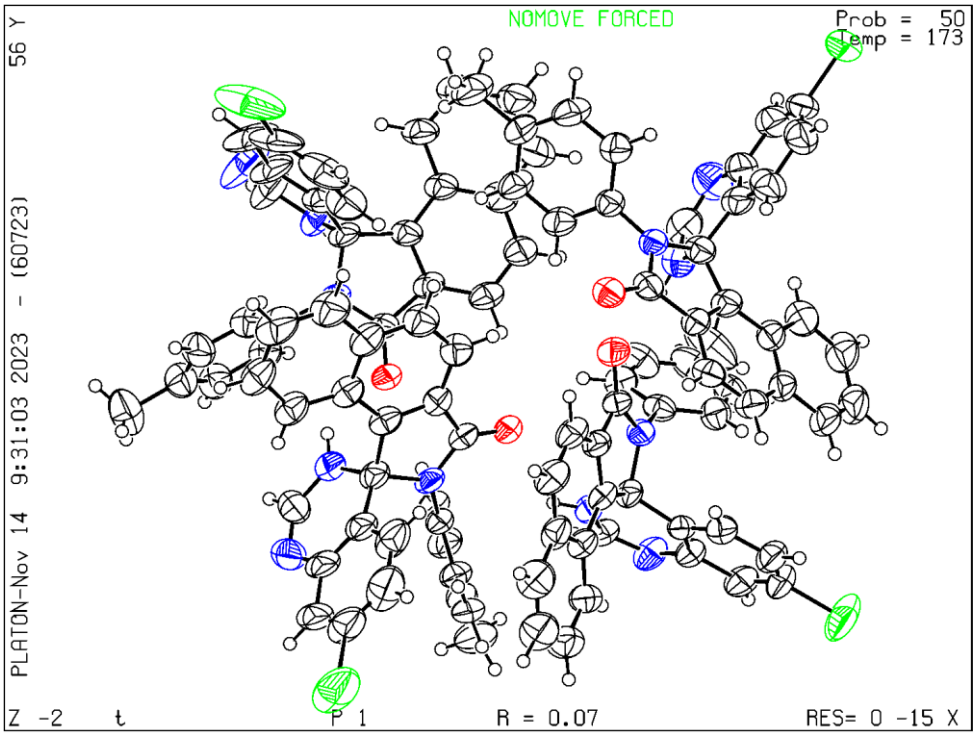
(*R*)-(5-Methyl-6-phenylpyrimidin-4-yl)-N-(*p*-tolyl)-2-naphthamide (5qa).



Colorless oil, 67% (28.6 mg) isolated yield, $[\alpha]_D^{20}$ = +107.56 (*c* = 1.0 in $CHCl_3$); 70% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-hexane/*i*-PrOH = 90:10 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 15.53 min; t_R (major) = 9.31 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.26 (s, 1H), 8.13 (s, 1H), 7.95-7.91 (m, 2H), 7.86-7.85 (m, 1H), 7.61-7.58 (m, 3H), 7.51-7.45 (m, 4H), 7.32-7.30 (m, 1H), 7.26-7.22 (m, 2H), 7.06-7.05 (m, 2H), 2.28 (s, 3H), 2.03 (s, 3H). **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 166.9, 166.7, 166.4, 155.8, 137.9, 135.1, 134.5, 134.3, 133.8, 133.3, 130.5, 129.7, 129.6,129.6, 129.4, 129.3, 128.8, 128.5, 127.9, 127.6, 125.6, 124.9, 120.2, 21.0, 16.5ppm. **HRMS** (ESI) m/z calculated for $C_{29}H_{24}N_3O^+$ $[M+H]^+$: 430.1914, found 430.1915.

2.4 Crystal data of compounds 5da、9b、10b、11.

The crystals suitable for single-crystal structure analysis was obtained via evaporation method of compounds **5da** DCM/*n*-Hexane. The absolute configuration of the **5da** was determined to be *R* configuration via X-ray crystal structure analysis (CCDC number: 2322364).



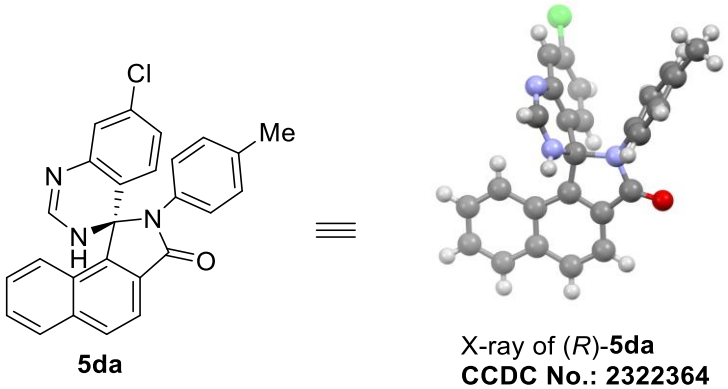


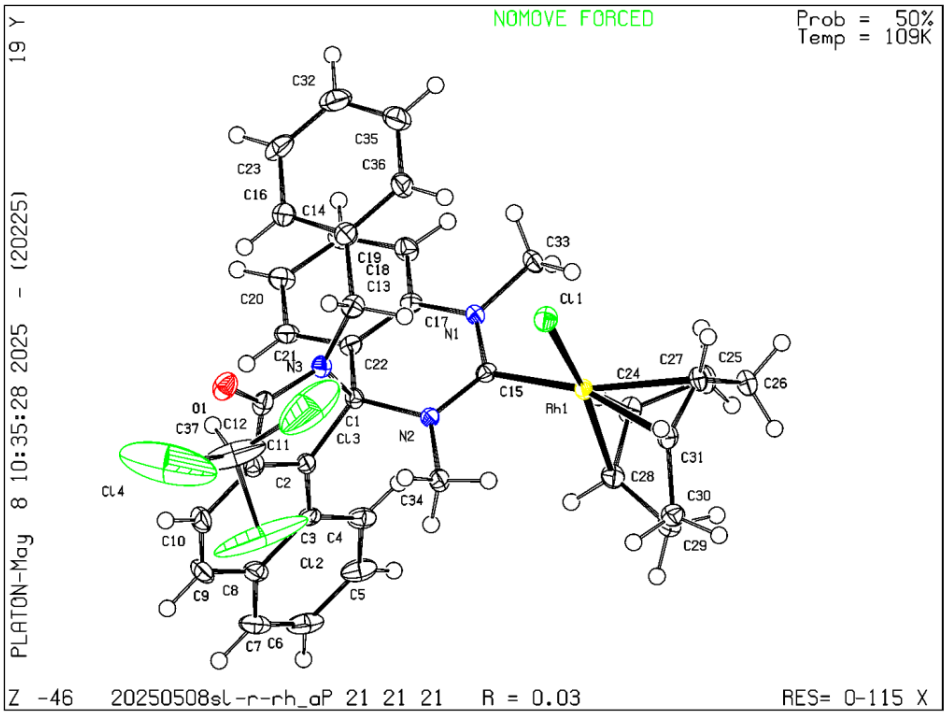
Figure S1. X-ray crystal structure of (*R*)-**5da**

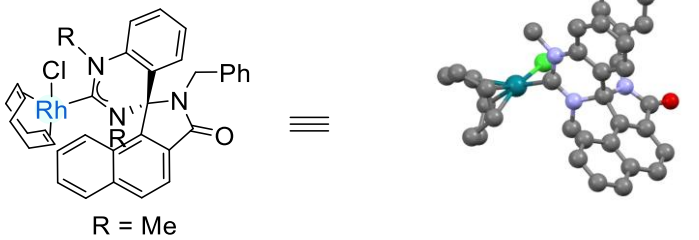
Table S9. Crystal data and structure refinement for 5da

Identification code	**5da**
Empirical formula	$C_{26}H_{18}ClN_3O$
Formula weight	423.88

Temperature	173(2) K	
Wavelength	1.54178 Å	
Crystal system	Triclinic	
Space group	P1	
Unit cell dimensions	a = 9.8911(4) Å	α= 87.166(2)°.
	b = 15.4147(6) Å	β= 80.070(3)°.
	c = 15.4438(7) Å	γ = 86.647(3)°.
Volume	2313.59(17) $Å^3$	
Z	4	
Density (calculated)	1.217 Mg/m^3	
Absorption coefficient	1.627 mm^{-1}	
F(000)	880	
Crystal size	0.150 x 0.140 x 0.120 mm^3	
Theta range for data collection	2.874 to 63.657°.	
Index ranges	-11<=h<=11, -17<=k<=17, -17<=l<=17	
Reflections collected	41599	
Independent reflections	14698 [R(int) = 0.0656]	
Completeness to theta = 63.657°	99.9 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	0.7531 and 0.6781	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	14698 / 9 / 1121	
Goodness-of-fit on F^2	0.940	
Final R indices [I>2sigma(I)]	R1 = 0.0665, wR2 = 0.1576	
R indices (all data)	R1 = 0.1129, wR2 = 0.1856	
Absolute structure parameter	0.057(9)	
Extinction coefficient	n/a	
Largest diff. peak and hole	0.364 and -0.347 $e.Å^{-3}$	

The crystals suitable for single-crystal structure analysis was obtained via evaporation method of compounds **9b** $CHCl_3$/*n*-Hexane. The absolute configuration of the **9b** was determined to be *S* configuration via X-ray crystal structure analysis (CCDC number: 2446894).





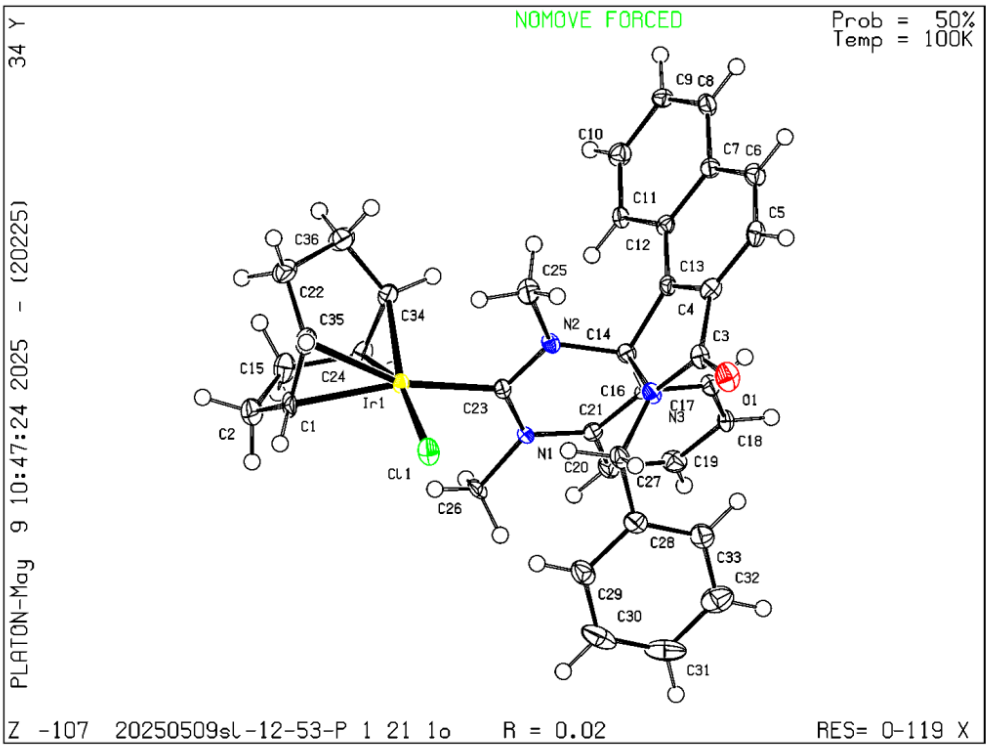
X-ray of (*S*)-**9b**
CCDC No.: 2449864

Figure S2. X-ray crystal structure of (*S*)-**9b**

Table S10. Crystal data and structure refinement for 9b

Identification code	**9b**	
Empirical formula	$C_{37}H_{36}ClN_3ORh$	
Formula weight	783.40	
Temperature	109(1) K	
Wavelength	1.54184 Å	
Crystal system	Orthorhombic	
Space group	$P2_12_12_1$	
Unit cell dimensions	a = 12.14900(10) Å	a= 90°.
	b = 12.33480(10) Å	b= 90°.
	c = 22.9651(2) Å	g = 90°.
Volume	3441.45(5) $Å^3$	
Z	4	
Density (calculated)	1.512 Mg/m^3	
Absorption coefficient	7.140 mm^{-1}	
F(000)	1600	
Crystal size	0.2 x 0.18 x 0.15 mm^3	
Theta range for data collection	4.068 to 75.633°.	
Index ranges	-13<=h<=15, -14<=k<=15, -28<=l<=27	
Reflections collected	23221	
Independent reflections	6757 [R(int) = 0.0255]	
Completeness to theta = 67.684°	99.8 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	1.00000 and 0.26421	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	6757 / 0 / 417	
Goodness-of-fit on F^2	1.021	
Final R indices [I>2sigma(I)]	R1 = 0.0309, wR2 = 0.0764	
R indices (all data)	R1 = 0.0311, wR2 = 0.0766	
Absolute structure parameter	-0.011(4)	
Extinction coefficient	n/a	
Largest diff. peak and hole	1.039 and -1.066 $e.Å^{-3}$	

The crystals suitable for single-crystal structure analysis was obtained via evaporation method of compounds **10b** DCM/*n*-Hexane. The absolute configuration of the **10b** was determined to be *S* configuration via X-ray crystal structure analysis (CCDC number: 2446892).



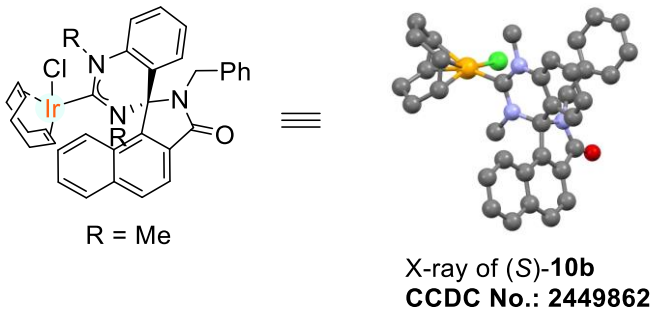
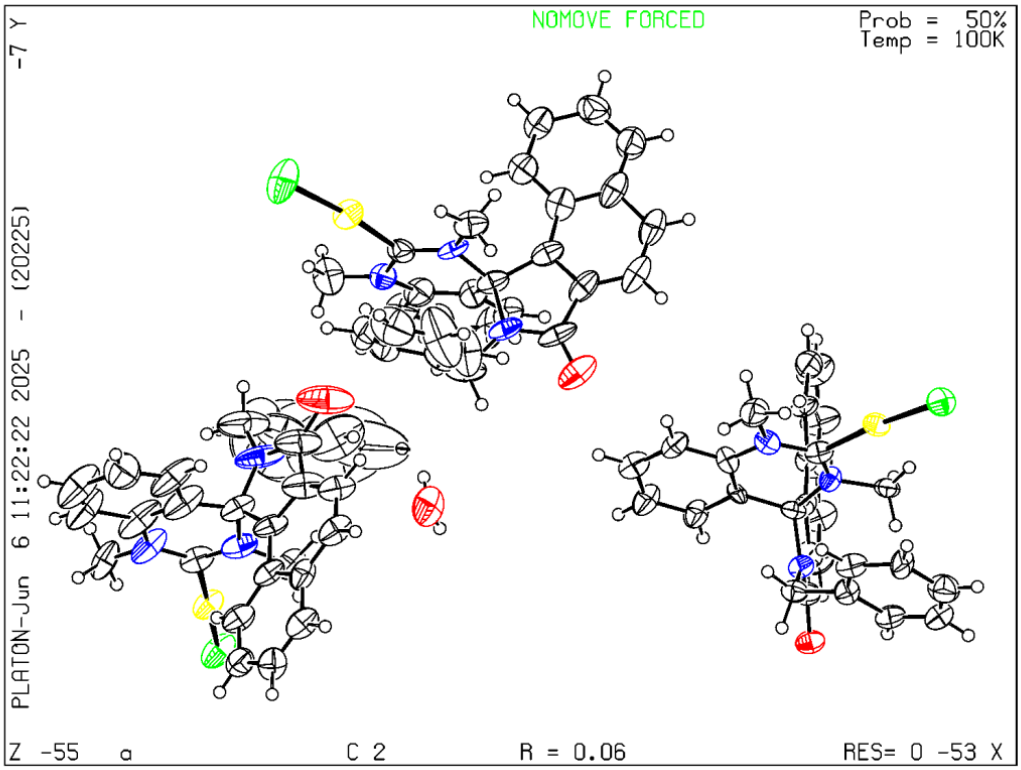


Figure S3. X-ray crystal structure of (*S*)- 10a

Table S11. Crystal data and structure refinement for 10b

Identification code	**10b**	
Empirical formula	$C_{36}H_{35}ClIrN_3O$	
Formula weight	753.32	
Temperature	99.9(3) K	
Wavelength	1.54184 Å	
Crystal system	Monoclinic	
Space group	P 1 21 1	
Unit cell dimensions	a = 8.81780(10) Å	a= 90°.
	b = 16.31940(10) Å	b= 107.6480(10)°.
	c = 10.78590(10) Å	g = 90°.
Volume	1479.06(2) $Å^3$	
Z	2	
Density (calculated)	1.692 Mg/m^3	
Absorption coefficient	9.834 mm^{-1}	
F(000)	748	
Crystal size	0.18 x 0.16 x 0.15 mm^3	
Theta range for data collection	4.301 to 75.754°.	
Index ranges	-10<=h<=10, -19<=k<=18, -13<=l<=13	
Reflections collected	20346	
Independent reflections	5702 [R(int) = 0.0380]	
Completeness to theta = 67.684°	99.9 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	1.00000 and 0.58050	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	5702 / 1 / 382	
Goodness-of-fit on F^2	1.059	
Final R indices [I>2sigma(I)]	R1 = 0.0209, wR2 = 0.0554	
R indices (all data)	R1 = 0.0209, wR2 = 0.0554	
Absolute structure parameter	-0.026(7)	
Extinction coefficient	0.00138(13)	
Largest diff. peak and hole	0.710 and -0.512 $e.Å^{-3}$	

The crystals suitable for single-crystal structure analysis was obtained via evaporation method of compounds **11** DCM/*n*-Hexane. The absolute configuration of the **11** was determined to be *S* configuration via X-ray crystal structure analysis (CCDC number: 2457242).



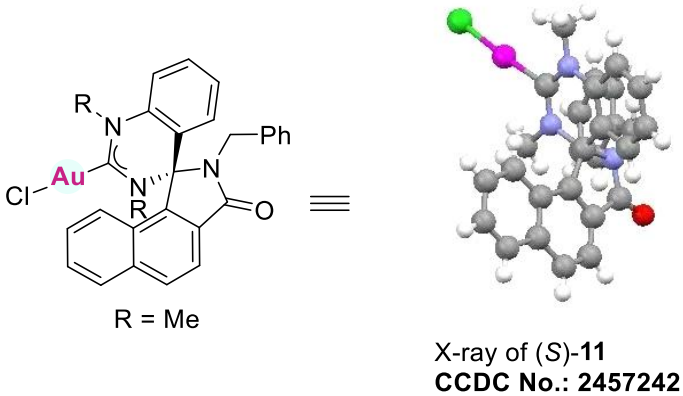


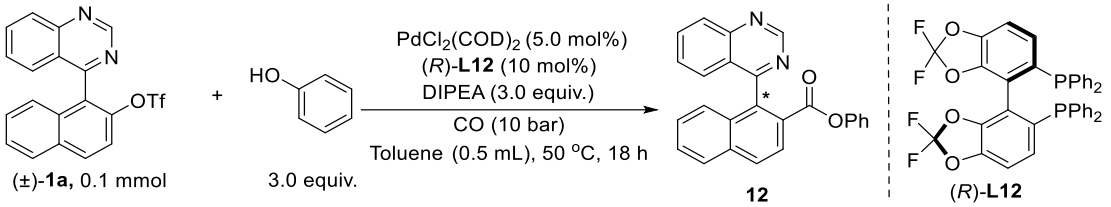
Figure S4. X-ray crystal structure of (*S*)-11

Table S12. Crystal data and structure refinement for 10b

Identification code	**11**	
Empirical formula	$C_{84}H_{71}Au_3Cl_3N_9O_4$	
Formula weight	1967.74	
Temperature	100(2) K	
Wavelength	1.54184 Å	
Crystal system	Monoclinic	
Space group	C2	
Unit cell dimensions	a = 24.0503(6) Å	α= 90°.
	b = 12.2870(2) Å	β= 109.212(3)°.
	c = 30.3447(8) Å	γ = 90°.
Volume	8467.7(4) $Å^3$	
Z	4	
Density (calculated)	1.544 Mg/m^3	
Absorption coefficient	10.855 mm^{-1}	
F(000)	3832	
Crystal size	0.160 x 0.150 x 0.140 mm^3	
Theta range for data collection	3.084 to 75.938°.	
Index ranges	-28<=h<=29, -13<=k<=15, -37<=l<=37	
Reflections collected	25404	
Independent reflections	12598 [R(int) = 0.0381]	
Completeness to theta = 67.684°	98.6 %	
Absorption correction	Semi-empirical from equivalents	
Max. and min. transmission	1.00000 and 0.65968	
Refinement method	Full-matrix least-squares on F^2	
Data / restraints / parameters	12598 / 8 / 919	
Goodness-of-fit on F^2	0.900	
Final R indices [I>2sigma(I)]	R1 = 0.0562, wR2 = 0.1549	
R indices (all data)	R1 = 0.0714, wR2 = 0.1703	
Absolute structure parameter	-0.027(13)	
Extinction coefficient	0.000116(14)	
Largest diff. peak and hole	2.708 and -2.264 e.$Å^{-3}$	

3. Mechanistic studies

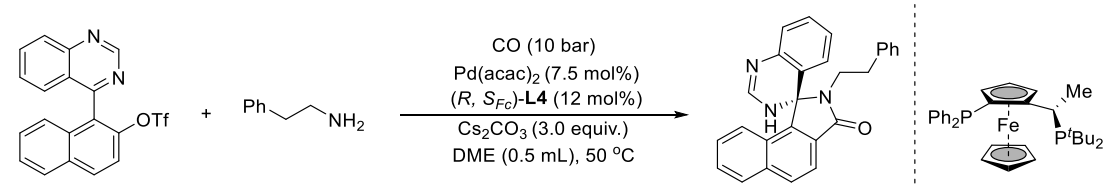
3.1 Procedure for synthesis of 12.



In a glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the $PdCl_2(COD)_2$ (0.005 mol, 5.0 mol%), **L12** (0.01 mmol 10.0 mol%), heterobiaryl triflate **1a** (0.1 mmol, 1.0 equiv.), phenol (0.3 mmol, 3.0 equiv.), toluene (0.5 mL), and DIPEA (0.3 mmol, 3.0 equiv.) was added via a syringe. The vial was closed by PTFE/white rubber septum (13 mm Septa) and phenolic cap and connected with atmosphere with a needle. Next, the vial was fixed in an alloy plate and put into Parr 4760 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to 10 bar pressure. The reaction was heated under specific temperature for 18 hours. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 6/1) to afford the desired chiral axial eatsr products **12** (35.7 mg, 95% yield, 90% *ee*) as a colorless oil. $[\alpha]_D^{20}$ = +55.48 (*c* = 1.0 in $CHCl_3$); 90% *ee*, determined by HPLC analysis (Chiralpak OD-3 column, *n*-Hexane/*i*-PrOH = 90:10 (v/v), flow rate 1 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 16.15 min; t_R (minor) = 26.52 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 9.47 (s, 1H), 8.39 (d, *J* = 8.5 Hz, 1H), 8.18-8.13 (m, 2H), 8.03 (d, *J* = 8.0 Hz, 1H), 7.90-7.87 (m, 1H), 7.64-7.61 (m, 1H), 7.48-7.43 (m, 2H), 7.41-7.38 (m, 1H), 7.25-7.22 (m, 2H), 7.17-7.10 (m, 2H), 6.75-6.72 (m, 2H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 169.3, 164.7, 154.9, 150.4, 150.1, 138.0, 135.7, 134.1, 131.9, 130.0, 129.5, 129.1, 128.8, 128.4, 128.1, 127.8, 127.2, 126.7, 126.4, 126.2, 126.0, 125.5, 121.2 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{17}N_2O_2^+$ $[M+H]^+$: 377.1285, found 377.1289.

3.2 Kinetic experiments using (*rac*)-1a with 2a and 3a[4].

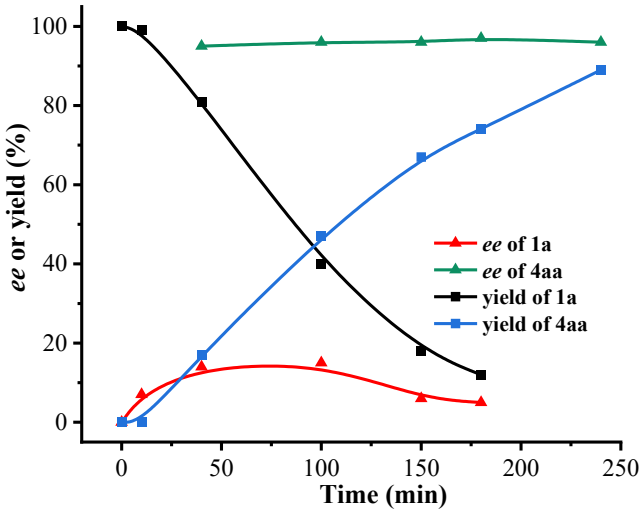
In a glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the $Pd(acac)_2$ (0.0075 mmol, 7.5 mol%), (*R*, S_{Fc})-**L4** (0.012 mmol, 12.0 mol%), **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.), Cs_2CO_3 (0.3 mmol, 3.0 equiv.). The vial was closed by PTFE/white rubber septum (13 mm Septa) and phenolic cap and connected with atmosphere with a needle. Then, DME (0.5 mL) was added via a syringe. Next, the vial was fixed in an alloy plate and put into Paar 4760 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to specific pressure. The reaction was heated under 50 °C for specific times. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1/1) to afford the desired spirodiamine product.



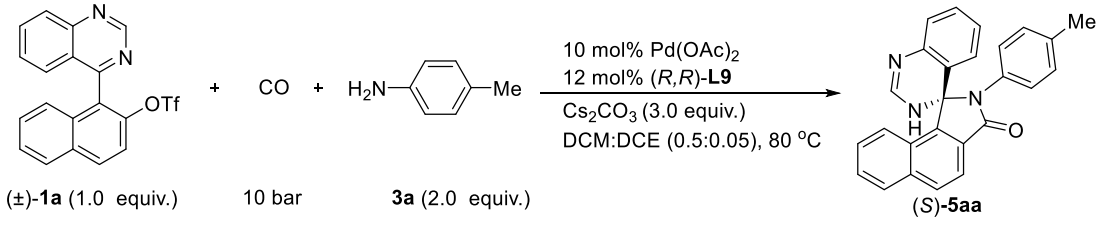
(±)-**1a** (1.0 equiv.) **2a** (3.0 equiv.) (*S*)-**4aa** (*R*, S_{Fc})-**L4**

t/min	ee of **1a** (%)	yield of **1a** (%)	ee of **4aa** (%)	yield of 4**aa** (%)
10	7	99	-	-
40	14	81	95	17
100	15	40	96	47
150	6	18	96	67
180	5	12	97	74
240	-	-	96	89
1080	-	-	97	91

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (3.0 equiv.) and CO (10 bar), $Pd(acac)_2$ (7.5 mol%), (*R*, S_{Fc})-**L4** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv.) in DME(0.5 mL) at 50 °C for specific time (average of three independent runs). The yields were determined by GC analysis using dodecane as the internal standard. The *ee* value was determined by HPLC using a chiral column.

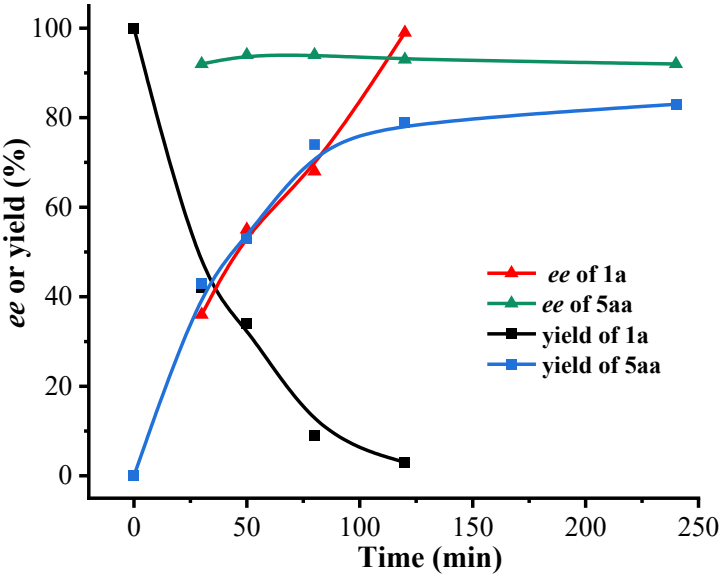


In a glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the $Pd(OAc)_2$ (0.01 mmol, 10.0 mol%), (*R*, *R*)-**L19** (0.012 mmol, 12.0 mol%), **1a** (0.1 mmol, 1.0 equiv.), *p*-methylaniline **3a** (0.2 mmol, 2.0 equiv.), Cs_2CO_3 (0.3 mmol, 3.0 equiv.). The vial was closed by PTFE/white rubber septum (13 mm Septa) and phenolic cap and connected with atmosphere with a needle. Then, DCM (0.5 mL) and DCE (0.05 mL) was added via a syringe. Next, the vial was fixed in an alloy plate and put into Paar 4760 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to specific pressure. The reaction was heated under 80 °C for specific times. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1/1) to afford the desired spirodiamine product.

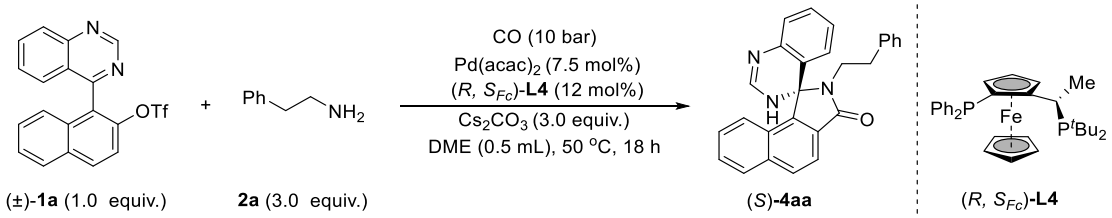


t/min	ee of **1a** (%)	yield of **1a** (%)	ee of **5aa** (%)	yield of **5aa** (%)
30	36	42	92	43
50	55	34	94	53
80	68	9	94	74
120	99	3	93	79
240	-	-	92	83
480	-	-	92	84

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **3a** (2.0 equiv.) and CO (10 bar), $Pd(OAc)_2$ (10 mol%), (*R*, *R*)-**L9** (12 mol%), and Cs_2CO_3 (0.3 mmol, 3.0 equiv.) in DCM:DCE(0.5:0.05) as co-solvent at 80 °C for specific time (average of three independent runs). The yields were determined by GC analysis using dodecane as the internal standard. The *ee* value was determined by HPLC using a chiral column.



3.3 Non-linear effect.

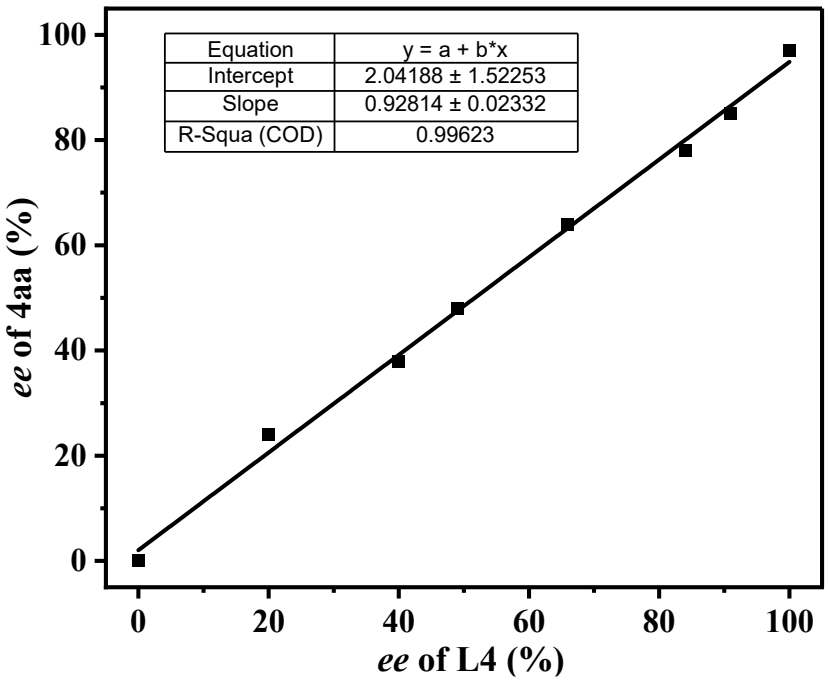


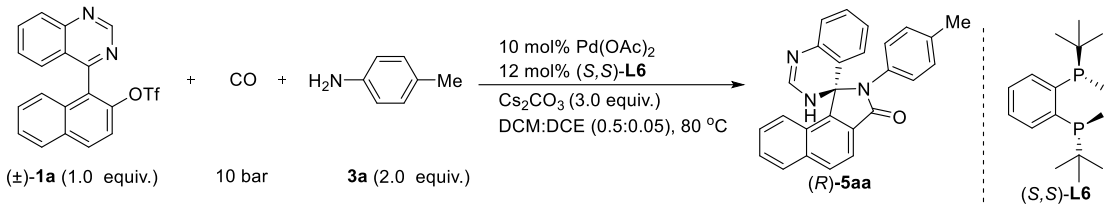
(±)-**1a** (1.0 equiv.) **2a** (3.0 equiv.) (*S*)-**4aa** (*R*, S_{Fc})-**L4**

In a glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the $Pd(acac)_2$ (0.0075 mmol, 7.5 mol%), (*R*, S_{Fc})-**L4** (0.012 mmol, 12.0 mol%, x% ee), **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.), Cs_2CO_3 (0.3 mmol, 3.0 equiv.). The vial was closed by PTFE/white rubber septum (13 mm Septa) and phenolic cap and connected with atmosphere with a needle. Then, DME (0.5 mL) was added via a syringe. Next, the vial was fixed in an alloy plate and put into Paar 4760 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to 10 bar. The reaction was heated under 50 °C for 18 h. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1/1) to afford the desired spirodiamine product. The *ee* value of (*R*, S_{Fc})-**L4** was determined by HPLC

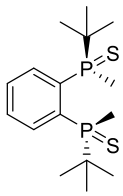
analysis (Chiralpak OD-3 column, *n*-hexane/*i*-PrOH = 99.9:0.1 (v/v), flow rate 0.5 mL/min, λ = 254 nm, 25 ^{O}C), t_R (minor) = 12.91 min; t_R (major) = 16.22 min. The *ee* value of **4aa** was determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH = 90:10 (v/v), flow rate 0.7 mL/min, λ = 254 nm, 25 ^{O}C), t_R (minor) = 36.14 min; t_R (major) = 38.43 min.

Entry	*ee* of (*R*, S_{Fc})-**L4** (%)	*ee* of **4aa** (%)
1	0	0
2	20	24
3	40	38
4	49	48
5	66	64
6	84	78
7	91	85
8	>99	97



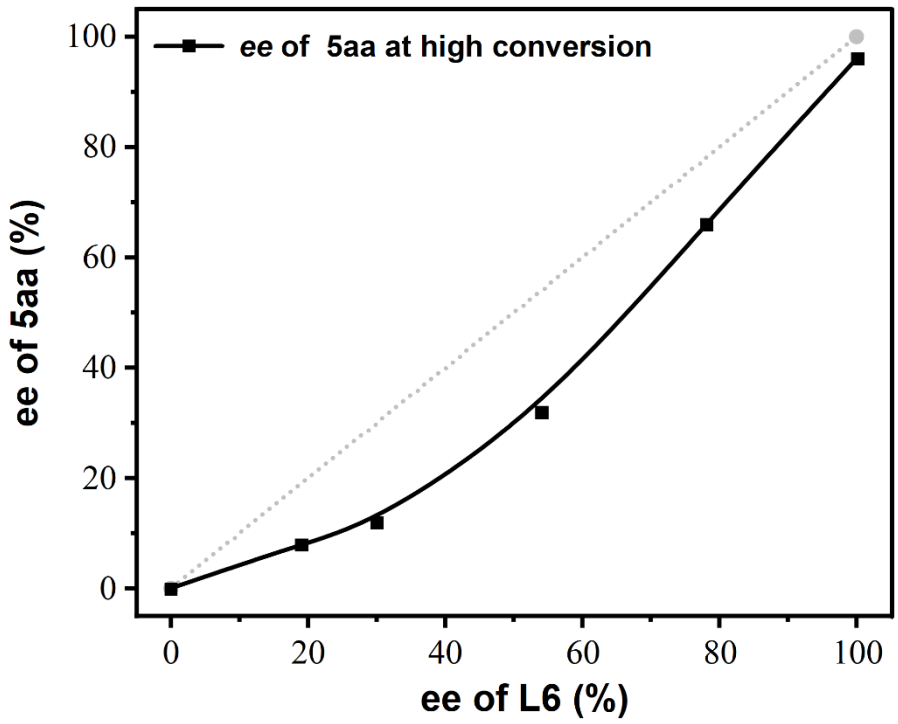


In a glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the $Pd(OAc)_2$ (0.01 mmol, 10 mol%), (*S*, *S*)-**L6** (0.012 mmol, 12.0 mol%, x% ee), **1a** (0.1 mmol, 1.0 equiv.), **3a** (0.2 mmol, 2.0 equiv.), Cs_2CO_3 (0.3 mmol, 3.0 equiv.). The vial was closed by PTFE/white rubber septum (13 mm Septa) and phenolic cap and connected with atmosphere with a needle. Then, DCM (0.5 mL) and DCE (0.05 mL) was added via a syringe. Next, the vial was fixed in an alloy plate and put into Paar 4760 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to 10 bar. The reaction was heated under 80 °C for 18 h. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 1/1) to afford the desired spirodiamine product.

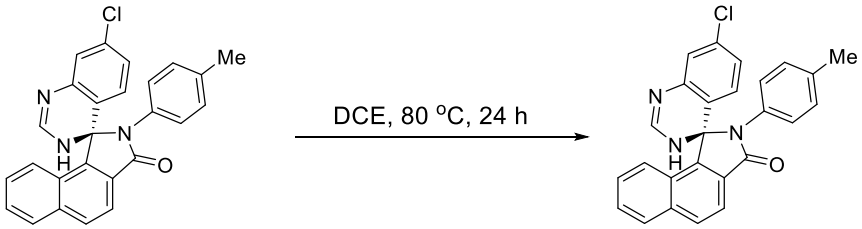

(*S*,*S*)-**L6a**

In order to determine the enantiomeric excess of (*S*, *S*)-**L6**, it was converted into diphosphine disulfide derivative [(*S*, *S*)-**L6a**] by treatment with excess sulfur in refluxing hexane for 20 h [5]. The product was isolated by preparative TLC (petroleum ether/ethyl acetate = 5:1) and the *ee* value of the compound was determined by HPLC analysis (Chiralpak AS-3 column, *n*-hexane/*i*-PrOH = 93:7 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 10.33 min; t_R (major) = 15.95 min. The *ee* value of **5aa** was determined by HPLC analysis (Chiralpak IA-3 column, *n*-hexane/*i*-PrOH = 80:20 (v/v), flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 21.50 min; t_R (major) = 24.39 min.

Entry	*ee* of (*S*, *S*)-**L6** (%)	*ee* of **5aa** (%)
1	0	0
2	19	8
3	30	12
4	54	32
5	78	66
6	>99	96



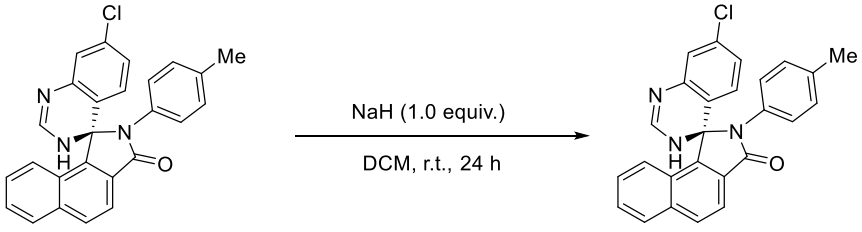
3.4 Stability experiments of products 5da.



5da, 90% ee

5da, 99% yield, 90% ee

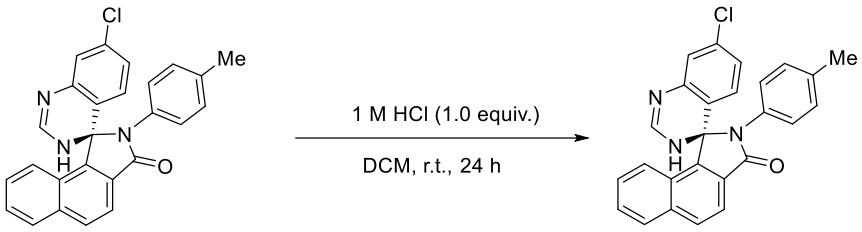
In an N_2-filled glovebox, to a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the **5da** (42.3 mg, 0.1 mmol, 1.0 equiv.) and dry DCE (1.0 mL). And the reaction mixture was stirred at 80 °C for 24 h. Then, the reaction mixture was cooled to room temperature and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ ethyl acetate = 1/1) to afford the product **5da** (41.9 mg, 99% yield, 90% ee) as a colorless oil.



5da, 90% ee

5da, 89% yield, 88% ee

To a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the **5da** (42.3 mg, 0.1 mmol, 1.0 equiv.) and DCM (1.0 mL). Then, NaH (60% suspension in mineral oil, 4 mg, 0.1 mmol, 1.0 equiv.) was added portion wise at 0 °C. Next, the reaction mixture was warm to room temperature and stired for 24 h. After stirring for 24 h, the mixture was quenched with H_2O, and extracted with EA (3 × 10 mL). The combined organic layers were dried over anhydrous Na_2SO_4 and then concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ ethyl acetate = 1/1) to afford the product **5da** (37.6 mg, 89% yield, 88% ee) as a colorless oil.



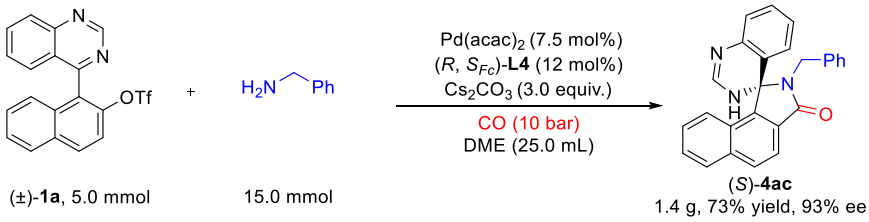
5da, 90% ee

5da, 96% yield, 90% ee

To a 4 mL screw-cap vial equipped with an oven-dried stirring bar were added the **5da** (42.3 mg, 0.1 mmol, 1.0 equiv.) and DCM (1.0 mL). Then, 1 M HCl (0.1 mmol, 1.0 equiv.) was added dropwise at 0 °C. Next, the reaction mixture was warm to room temperature and stired for 24 h. After stirring for 24 h, the mixture was quenched with H_2O, and extracted with EA (3 × 10 mL). The combined organic layers were dried over anhydrous Na_2SO_4 and then concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ ethyl acetate = 1/1) to afford the product **5da** (40.6 mg, 96% yield, 90% ee) as a colorless oil.

4. Synthetic application of the methodology.

4.1 Scale up reaction of product 4ac.



(±)-**1a**, 5.0 mmol

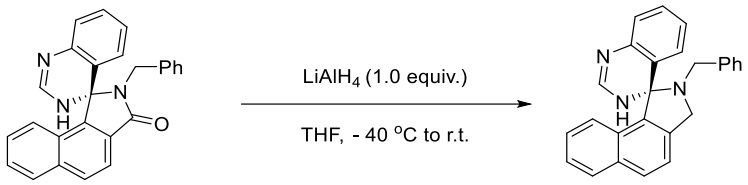
15.0 mmol

(*S*)-**4ac**
1.4 g, 73% yield, 93% ee

A 300 mL vial was charged with $Pd(acac)_2$ (114.2 mg, 7.5 mol%, 0.075 equiv.), **1a** (5.0 mmol, 1.0 equiv.), and an oven-dried stirring bar. The vial was closed by PTFE/white rubber septum (13

mm Septa) and phenolic cap and connected with atmosphere with a needle. After evacuated and backfilled nitrogen three times, (*R, S*$_{Fc}$)-**L4** (325.2 mg, 12 mol%, 0.12 equiv.), and Cs_2CO_3 (30.0 mmol, 3.0 equiv.) were added, followed by addition of DME (25.0 mL) and benzylamine (15.0 mmol, 3.0 equiv.). Next, the vial was fixed in an alloy plate and put into Paar 4560 series autoclave (300 mL) under nitrogen atmosphere. At room temperature, the autoclave is flushed with carbon monoxide for three times and carbon monoxide was charged to 10 bar. The reaction was heated under 50 °C for 18 hours. Afterwards, the autoclave was cooled to room temperature and the pressure was carefully released. The reaction mixture was concentrated and purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 3/1) to afford the desired spiorsiamine products **4ac** (1.4 g, 73% yield, 93% *ee*) as a brown solid.

4.2 Procedure for synthesis of 6.



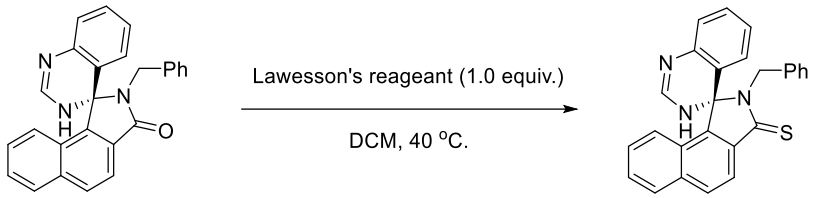
0.1 mmol, 93% *ee*
4ac

6, 62% yield

Synthesis of **6** [6]: In an N_2-filled glovebox, to a 8 mL screw-cap vial equipped with an oven-dried stirring bar were added the **4ac** (38.9 mg, 0.1 mmol, 1.0 equiv.) and dry THF (2.0 mL). And the reaction mixture was stirred at -40 °C for 10 min. Then, 1 M $LiAlH_4$ (0.1 mmol, 1.0 equiv.) solution was added dropwise to the reaction mixture and stired at -40 °C for another 30 min. Next, warm to room temperature and stired for 18 h. After stirring for 18 h, the mixture was quenched with H_2O, and extracted with EA (3 × 10 mL). The combined organic layers were dried over anhydrous Na_2SO_4 and then concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ ethyl acetate = 1/1) to afford the product **6** (20.8 mg, 62% yield) as a colorless oil. **[α]$_D$ ^{20}** = -4.66 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 7.87-7.85 (m, 2H), 7.64-7.59 (m, 2H), 7.87-7.85 (m, 2H), 7.44-7.41 (m, 1H), 7.29-7.26 (m, 1H), 7.21-7.14 (m, 4H), 7.08-7.06 (m, 2H), 6.94-6.91 (m, 1H), 6.83-6.81 (m, 1H), 3.81 (s, 2H), 3.62 (s, 2H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 141.0, 139.8, 137.9, 133.9, 133.3, 132.6, 130.5, 130.4, 128.4, 128.1, 128.0, 127.8, 127.8, 127.1, 127.0, 126.1, 125.6, 120.0, 119.2,

117.2, 109.7, 92.5, 53.4, 52.1 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{22}N_3^+$ $[M+H]^+$: 376.1808, found 376.1813.

4.3 Procedure for synthesis of 7.

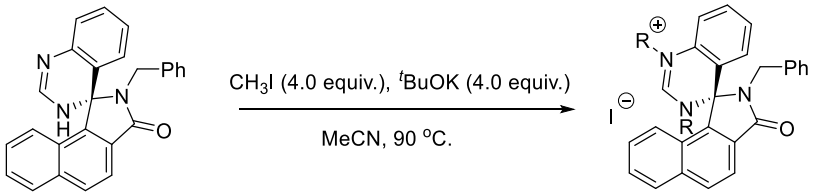


0.1 mmol, 93% *ee*
4ac

7, 51% yield, 92% *ee*

Synthesis of **7** [7]: In an N_2-filled glovebox, to a 8 mL screw-cap vial equipped with an oven-dried stirring bar were added the **4ac** (38.9 mg, 0.1 mmol, 1.0 equiv.), Lawesson's reageant (40.4 mg, 0.1 mmol, 1.0 equiv.) and dry DCM (2.0 mL). And the reaction mixture was stirred at 40 °C for 20 h. Then, the reaction mixture cooled to room temperature and quenched with H_2O. Next, the reaction mixture was extracted with EA (3 × 10 mL). The combined organic layers were dried over anhydrous Na_2SO_4 and then concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ ethyl acetate = 1/1) to afford the product **7** (20.7 mg, 51% yield, 92% *ee*) as a colorless oil. $[\alpha]_D^{20}$ = +11.26 (*c* = 0.5 in $CHCl_3$); 92% *ee*, determined by HPLC analysis (Chiralpak IC-3 column, *n*-Hexane/*i*-PrOH = 60:40 (v/v), flow rate 0.2 mL/min, λ = 254 nm, 25 ℃), t_R (major) = 31.53 min; t_R (minor) = 32.94 min; **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.21-8.19 (m, 1H), 8.04-8.02 (m, 1H), 7.95-7.94 (m, 1H), 7.54-7.49 (m, 2H), 7.40-7.39 (m, 1H), 7.32-7.23 (m, 4H), 7.17-7.15 (m, 3H), 7.07-7.06 (m, 1H), 6.83-6.80 (m, 1H), 6.41-6.39 (m, 1H), 5.50-5.47 (m, 1H), 4.43-4.40 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 192.5, 144.6, 137.4, 136.3, 134.2, 131.6, 130.6, 129.5, 128.4, 128.3, 128.1, 128.0, 127.5, 126.7, 126.4, 126.1, 124.1, 121.6, 119.5, 82.7, 46.9 ppm. **HRMS** (ESI) m/z calculated for $C_{26}H_{20}N_3S^+$ $[M+H]^+$: 406.1372, found 406.1379.

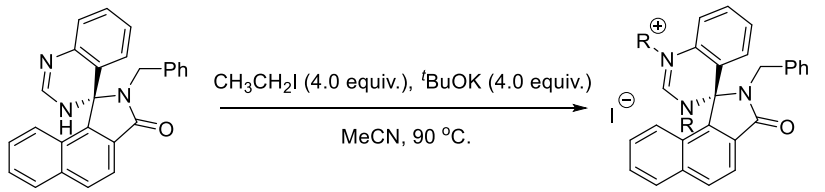
4.4 Procedure for synthesis of 8a、8b、8c、8d.



0.1 mmol, 93% *ee*
4ac

8a, R = Me, 73% yield

Synthesis of **8a** [8]: In an N_2-filled glovebox, to a 10 mL Schlenk tube equipped with an oven-dried stirring bar were added the **4ac** (38.9 mg, 0.1 mmol, 1.0 equiv.), ^{t}BuOK (44.8 mg, 0.4 mmol, 4.0 equiv.), dry MeCN (1.0 mL), and CH_3I (56.8 mg, 0.4 mmol, 4.0 equiv.). And the reaction mixture was stirred at 90 °C for 24 h. Then, the reaction mixture cooled to room temperature and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: DCM/ CH_3OH = 20/1) to afford the product **8a** (39.6 mg, 73% yield) as a brown solid. **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 10.05 (s, 1H), 8.19 (d, *J* = 8.0 Hz, 1H), 8.06-8.01 (m, 2H), 7.64-7.56 (m, 2H), 7.50 (d, *J* = 8.0 Hz, 1H), 7.45-7.41 (m, 1H), 7.31-7.29 (m, 1H), 7.21-7.19 (m, 3H), 7.14-7.12 (m, 2H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.48 (d, *J* = 8.0 Hz, 1H), 4.99 (d, *J* = 15.5 Hz, 1H), 4.39 (d, *J* = 15.5 Hz, 1H), 4.05 (s, 3H), 2.81 (s, 3H). **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 153.2, 140.7, 136.3, 135.2, 133.4, 132.5, 131.7, 130.0, 129.8, 129.4, 129.2, 129.0, 128.7, 128.4, 128.4, 127.5, 126.0, 122.7, 119.4, 119.3, 115.3, 79.1, 43.6, 39.2, 36.1. **HRMS** (ESI) m/z calculated for $C_{28}H_{24}N_3O$ [M-I]: 418.1914, found 418.1915.

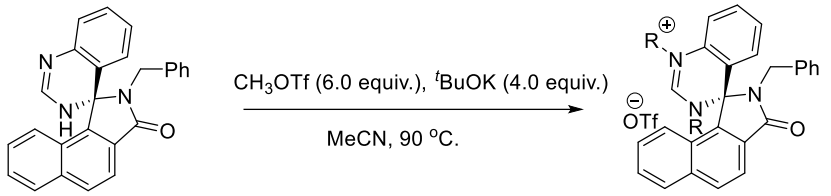


0.1 mmol, 93% *ee*
4ac

8b, R = Et, 79% yield

Synthesis of **8b** [8]: In an N_2-filled glovebox, to a 10 mL Schlenk tube equipped with an oven-dried stirring bar were added the **4ac** (38.9 mg, 0.1 mmol, 1.0 equiv.), ^{t}BuOK (44.8 mg, 0.4 mmol, 4.0 equiv.), dry MeCN (1.0 mL), and CH_3CH_2I (62.4 mg, 0.4 mmol, 4.0 equiv.). And the reaction mixture was stirred at 90 °C for 24 h. Then, the reaction mixture cooled to room temperature and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: DCM/CH_3OH = 20/1) to afford the product **8b** (45.2 mg, 79% yield) as a brown solid. **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 10.01 (s, 1H), 8.16 (d, *J* = 8.5 Hz, 1H), 8.04-7.98 (m, 2H), 7.59-7.57 (m, 1H), 7.53-7.50 (m, 1H), 7.40-7.30 (m, 3H), 7.15-7.10 (m, 5H), 6.94 (t, *J* = 7.0 Hz, 1H), 6.43 (d, *J* = 7.5 Hz, 1H), 4.85-4.77 (m, 2H), 4.72-4.65 (m, 1H), 4.45 (d, *J* = 15.5 Hz, 1H), 3.27-3.23 (m, 1H), 2.95-2.88 (m, 1H), 1.76 (t, *J* = 7.5 Hz, 3H), 0.78 (t, *J* = 7.5 Hz, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 151.9, 141.6, 136.3, 135.6, 133.4, 131.6, 131.2, 130.0, 129.7,

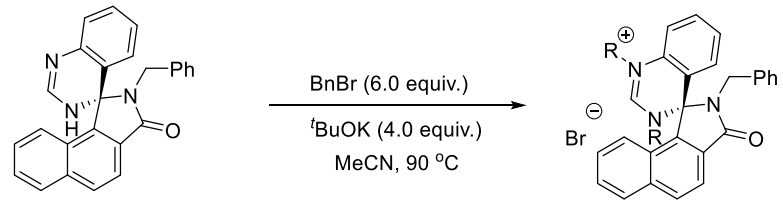
129.2, 129.0, 128.9, 128.8, 128.4, 128.2, 128.1, 126.4, 122.5, 119.9, 119.3, 115.4, 79.2, 47.6, 44.5, 43.5, 15.0, 14.6 ppm. **HRMS** (ESI) m/z calculated for $C_{30}H_{28}N_3O$ [M-I]: 446.2232, found 446.2230.



0.1 mmol, 93% *ee*
4ac

8c, R = Me, 74% yield

Synthesis of **8c** [8]: In an N_2-filled glovebox, to a 10 mL Schlenk tube equipped with an oven-dried stirring bar were added the **4ac** (38.9 mg, 0.1 mmol, 1.0 equiv.), ^{t}BuOK (44.8 mg, 0.4 mmol, 4.0 equiv.), dry MeCN (1.0 mL), and MeOTf (98.4 mg, 0.6 mmol, 6.0 equiv.). And the reaction mixture was stirred at 90 °C for 24 h. Then, the reaction mixture cooled to room temperature and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: DCM/CH_3OH = 20/1) to afford the product **8c** (42.0 mg, 74% yield) as a brown solid. **$[\alpha]_D^{20}$** = +41.02 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.94 (s, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 8.04-8.00 (m, 2H), 7.62-7.58 (m, 1H), 7.54-7.51 (m, 1H), 7.43-7.37 (m, 2H), 7.30 (d, *J* = 8.5 Hz, 1H), 7.20-7.14 (m, 3H), 7.10-7.06 (m, 2H), 7.01 (t, *J* = 8.0 Hz, 1H), 6.49-6.44 (m, 1H), 4.82 (d, *J* = 15.5 Hz, 1H), 4.38 (d, *J* = 15.5 Hz, 1H), 3.92 (s, 3H), 2.69 (s, 3H) ppm. **^{19}F NMR (471 MHz, Chloroform-*d*)** δ -78.24 ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.1, 154.4, 140.7, 136.4, 135.1, 133.5, 132.3, 131.8, 129.9, 129.8, 129.4, 129.2, 129.2, 128.6, 128.4, 128.4, 127.5, 126.2, 122.2, 122.0, 119.5, 119.3, 119.3, 115.6, 79.1, 43.4, 39.4, 36.6 ppm. **HRMS** (ESI) m/z calculated for $C_{30}H_{28}N_3O$ [M-OTf]: 418.1914, found 418.1913.



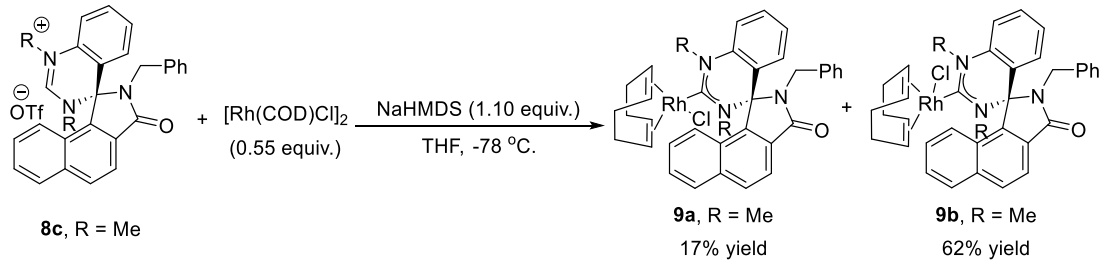
0.1 mmol, 93% *ee*
4ac

8d, R = Bn, 54% yield

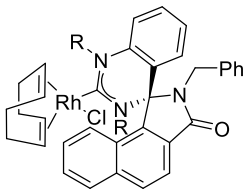
Synthesis of **8d** [8]: In an N_2-filled glovebox, to a 10 mL Schlenk tube equipped with an oven-dried stirring bar were added the **4ac** (38.9 mg, 0.1 mmol, 1.0 equiv.), ^{t}BuOK (44.8 mg, 0.4 mmol, 4.0 equiv.), BnBr (102.6 mg, 0.6 mmol, 6.0 equiv.), and dry MeCN (1.0 mL). And the reaction mixture was stirred at 90 °C for 24 h. Then, the reaction mixture cooled to room temperature

and concentrated under vacuum. The residue was purified by flash column chromatography on silica gel (eluent: DCM/CH_3OH = 20/1) to afford the product **8d** (34.9 mg, 54% yield) as a brown solid. **$[\alpha]_D^{20}$** = +102.19 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 11.3 (s, 1H), 8.07 (d, *J* = 8.5 Hz, 1H), 8.02 (d, *J* = 8.5 Hz, 1H), 7.82 (d, *J* = 8.5 Hz, 1H), 7.67-7.65 (m, 2H), 7.47-7.33 (m, 5H), 7.17-7.13 (m, 2H), 6.99-6.81 (m, 11H), 6.65-6.62 (m, 1H), 6.26-6.24 (m, 1H), 6.00 (d, *J* = 15.5 Hz, 1H), 5.84 (d, *J* = 15.5 Hz, 1H), 4.71-4.65 (m, 2H), 4.36 (d, *J* = 15.5 Hz, 1H), 4.27 (d, *J* = 15.5 Hz, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 167.2, 154.5, 141.7, 136.2, 136.0, 133.5, 133.46, 132.0, 131.2, 131.1, 129.7, 129.6, 129.3, 129.2, 128.7, 128.6, 128.6, 128.5, 128.5, 128.4, 128.3, 128.2, 128.1, 127.6, 126.6, 122.2, 120.1, 119.2, 116.1, 79.3, 54.8, 53.8, 43.6 ppm. **HRMS** (ESI) m/z calculated for $C_{40}H_{32}N_3O$ [M-Br]: 570.2545, found 570.2548.

4.5 Procedure for synthesis of Rh complex 9.

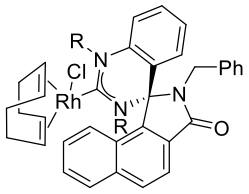


Synthesis of **9** [8]: In a nitrogen-filled glovebox, a 10 mL Schlenk tube equipped with an oven-dried magnetic stir bar was charged with **8c** (56.7 mg, 0.1 mmol, 1.0 equiv.) and $[Rh(COD)Cl_2]$ (27.1 mg, 0.055 mmol, 0.55 equiv.). Anhydrous THF (2.0 mL) was added, and the resulting mixture was cooled to -78 °C with stirring for 10 min. Subsequently, a solution of NaHMDS (0.11 mmol, 1.10 equiv.) in THF was added dropwise. The reaction mixture was then allowed to warm to room temperature gradually and stirred for another 24 h. After stirring for 24 h, the solvent was removed under vacuum and the residue dissolved in CH_2Cl_2 and filtered over celite. The filtrate was removed under vacuum and the residue was purified by flash column chromatography on silica gel (eluent: PE/EA/DCM = 10/1/10) to afford the product **10a** (11.7 mg, 17% yield) and **10b** (43.2 mg, 62% yield) as a brown solid.



9a, R = Me

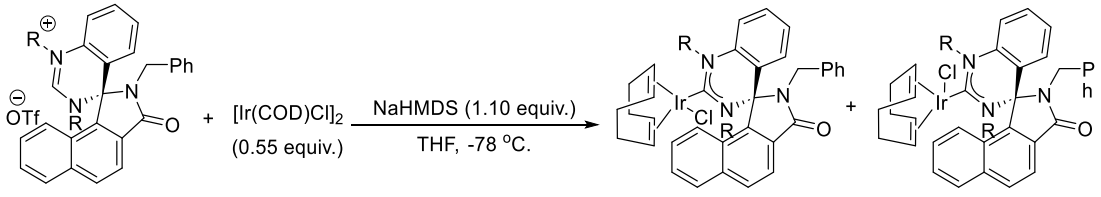
$[\alpha]_D^{20}$ = -22.44 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.07 (d, *J* = 8.5 Hz, 1H), 8.00 (d, *J* = 8.5 Hz, 1H), 7.93-7.91 (m, 1H), 7.73-7.71 (m, 1H), 7.56-7.50 (m, 2H), 7.21-7.17 (m, 1H), 7.11-7.07 (m, 4H), 7.02-6.97 (m, 2H), 6.73-6.70 (m, 1H), 6.29-6.28 (m, 1H), 4.98-4.88 (m, 2H), 4.77 (s, 3H), 4.40 (d, *J* = 15.5 Hz, 1H), 4.27 (d, *J* = 15.5 Hz, 1H), 3.62 (s, 3H), 3.50-3.47 (m, 1H), 2.69-2.62 (m, 1H), 2.57-2.51 (m, 1H), 2.39-2.33 (m, 1H), 7.58-7.55 (m, 1H), 2.29-2.20 (m, 1H), 2.12-2.00 (m, 1H), 1.94-1.83 (m, 2H), 1.77-1.70 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 212.4 (d, J_{RhC} = 47.4 Hz), 168.1, 144.3, 136.6, 136.2, 134.6, 132.0, 130.2, 129.3, 129.0, 128.9, 128.6, 128.5, 127.8, 127.4, 127.1, 126.6, 125.3, 124.3, 119.4, 119.1, 98.0-97.0 (m, 4 × COD_{ol}), 79.4, 70.0-69.2 (m, 4 × COD_{ol}), 43.3, 43.3, 40.6, 33.2, 29.9, 29.3, 28.4 ppm. HRMS (ESI) m/z calculated for $C_{36}H_{35}RhN_3O$ [M-Cl]: 628.1385, found 628.1384.



9b, R = Me

$[\alpha]_D^{20}$ = +42.52 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.12 (d, *J* = 8.5 Hz, 1H), 8.06 (d, *J* = 8.5 Hz, 1H), 8.01 (d, *J* = 8.0 Hz, 1H), 7.57-7.53 (m, 1H), 7.35-7.32 (m, 1H), 7.18 (d, *J* = 8.5 Hz, 1H), 7.11-7.05 (m, 2H), 6.96-6.92 (m, 1H), 6.90-6.89 (m, 3H), 6.70 (d, *J* = 8.0 Hz, 1H), 6.57-6.54 (m, 1H), 6.16-6.14 (m, 1H), 5.38 (d, *J* = 15.5 Hz, 1H), 5.13-5.09 (m, 1H), 5.05-5.01 (m, 1H), 4.64 (s, 3H), 4.41 (d, *J* = 15.5 Hz, 1H), 3.70 (s, 3H), 3.50-3.47 (m, 1H), 3.29-3.25 (m, 1H), 2.56-2.41 (m, 2H), 2.33-2.55 (m, 1H), 2.21-2.15 (m, 1H), 2.04-1.89 (m, 3H), 1.86-1.79 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 213.5 (d, J_{RhC} = 47.3 Hz), 167.0, 143.0, 136.3, 136.1, 134.2, 131.9, 130.2, 129.9, 129.8, 128.4, 128.2, 127.6, 126.9, 126.6, 126.6, 125.0, 122.1, 120.2, 119.9, 112.7, 98.3-98.0 (m, 4 × COD_{ol}), 78.3, 70.3-69.7 (m, 4 × COD_{ol}), 42.8, 42.3, 40.0, 32.9, 32.4, 29.0, 28.9 ppm. HRMS (ESI) m/z calculated for $C_{36}H_{35}RhN_3O$ [M-Cl]: 628.1385, found 628.1380.

4.6 Procedure for synthesis of Ir complex 10.

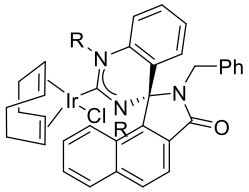


8c, R = Me

10a, R = Me
21% yield

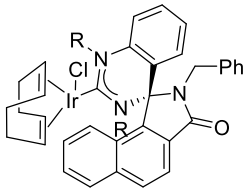
10b, R = Me
50% yield

Synthesis of **10** [8]: In a nitrogen-filled glovebox, a 10 mL Schlenk tube equipped with an oven-dried magnetic stir bar was charged with **8c** (28.4 mg, 0.05 mmol, 1.0 equiv.) and [Ir(COD)Cl_2] (18.5 mg, 0.028 mmol, 0.55 equiv.). Anhydrous THF (1.0 mL) was added, and the resulting mixture was cooled to -78 °C with stirring for 10 min. Subsequently, a solution of NaHMDS (0.055 mmol, 1.10 equiv.) in THF was added dropwise. The reaction mixture was then allowed to warm to room temperature gradually and stirred for another 24 h. After stirring for 24 h, the solvent was removed under vacuum and the residue dissolved in CH_2Cl_2 and filtered over celite. The filtrate was removed under vacuum and the residue was purified by flash column chromatography on silica gel (eluent: PE/EA/DCM = 10/1/10) to afford the product **10a** (15.8 mg, 21% yield) and **10b** (37.7 mg, 50% yield) as a brown solid.



9a, R = Me

$[\alpha]_D^{20}$ = +6.90 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.07 (d, *J* = 8.4 Hz, 1H), 8.00 (d, *J* = 8.4 Hz, 1H), 7.94-7.92 (m, 1H), 7.73 (d, *J* = 7.8 Hz, 1H), 7.57-7.51 (m, 2H), 7.19-7.16 (m, 1H), 7.09-7.05 (m, 4H), 6.99-6.97 (m, 2H), 6.70 (t, *J* = 7.5 Hz, 1H), 6.28 (d, *J* = 7.8 Hz, 1H), 4.58-4.50 (m, 6H), 4.25 (d, *J* = 15.5 Hz, 1H), 3.40 (s, 3H), 3.18-3.15 (m, 1H), 2.45-2.41 (m, 1H), 2.38-2.20 (m, 2H), 2.13-2.07 (m, 1H), 1.98-1.91 (m, 1H), 1.77-1.66 (m, 3H), 1.48-1.43 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 205.3, 168.0, 144.2, 136.5, 136.2, 135.5, 132.0, 130.2, 129.2, 129.1, 128.8, 128.8, 128.4, 127.9, 127.4, 127.1, 126.7, 125.2, 124.0, 119.3, 119.2, 113.6, 84.0, 82.8, 80.2, 53.6, 53.0, 43.3, 42.8, 40.0, 33.8, 32.6, 29.7, 29.0 ppm. **HRMS** (ESI) m/z calculated for $C_{36}H_{35}IrN_3O^+$ $[M-Cl]^+$: 718.2404, found 718.2400.

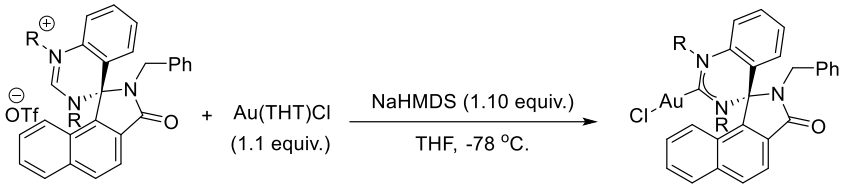


9b, R = Me

$[\alpha]_D^{20}$ = +29.60 (*c* = 0.5 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.12 (d, *J* = 8.4 Hz, 1H), 8.06 (d, *J* = 8.4 Hz, 1H), 8.02 (d, *J* = 8.3 Hz, 1H), 7.58-7.55 (m, 1H), 7.38-7.35 (m, 1H), 7.27-7.25 (m, 2H), 7.11-7.09 (m, 2H), 6.98-6.95 (m, 1H), 6.91-6.88 (m, 3H), 6.70 (d, *J* = 8.3 Hz, 1H), 6.58 (t, *J* = 7.6 Hz, 1H), 6.17 (dd, *J* = 7.9, 1.5 Hz, 1H), 5.42 (d, *J* = 15.6 Hz, 1H), 4.73-4.69 (m, 1H), 4.66-4.62 (m, 1H), 4.43 (s, 3H), 4.38 (d, *J* = 15.7 Hz, 1H), 3.49 (s, 3H), 3.16-3.12 (m, 1H), 2.93-2.89 (m, 1H), 2.38-2.26 (m, 2H), 2.18-2.11 (m, 1H), 2.03-1.96 (m, 1H), 1.79-1.69 (m, 3H), 1.53-1.47 (m, 1H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 205.9, 167.1, 143.2, 136.3, 136.0, 135.1, 131.9, 130.3, 129.9, 129.9, 128.4, 128.2, 128.2, 127.6, 127.0, 126.7, 126.6, 124.9, 122.3, 120.2,

119.9, 113.0, 84.3, 83.8, 79.0, 54.0, 53.4, 42.4, 42.3, 39.4, 33.7, 33.0, 29.6, 29.3 ppm. **HRMS** (ESI) m/z calculated for $C_{36}H_{35}IrN_3O^+$ $[M\text{-}Cl]^+$: 718.2404, found 718.2408.

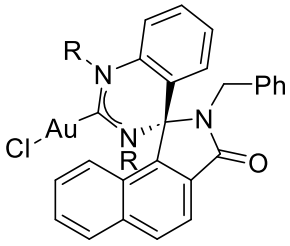
4.7 Procedure for synthesis of Au complex 11.



8c, R = Me

11, R = Me, 67% yield

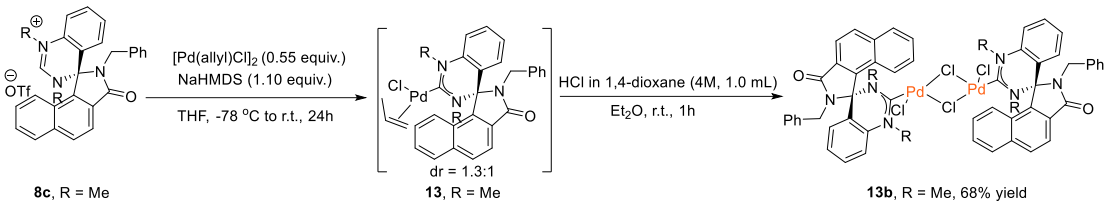
Synthesis of **12** [8]: In a nitrogen-filled glovebox, a 10 mL Schlenk tube equipped with an oven-dried magnetic stir bar was charged with **8c** (56.7 mg, 0.1 mmol, 1.0 equiv.) and THT(Au)Cl (35.15 mg, 0.11 mmol, 1.1 equiv.). Anhydrous THF (2.0 mL) was added, and the resulting mixture was cooled to -78 °C with stirring for 10 min. Subsequently, a solution of NaHMDS (0.11 mmol, 1.10 equiv.) in THF was added dropwise. The reaction mixture was then allowed to warm to room temperature gradually and stirred for another 24 h. After stirring for 24 h, the solvent was removed under vacuum and the residue dissolved in CH_2Cl_2 and filtered over celite. The filtrate was removed under vacuum and the residue was purified by flash column chromatography on silica gel (eluent: PE/EA = 1/2) to afford the product **11** (43.5 mg, 67% yield) as a white solid.



11, R = Me

$[\alpha]_D^{20}$ = +3.62 (*c* = 0.5 in $CHCl_3$);**^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.13 (d, *J* = 8.5 Hz, 1H), 8.04-7.99 (m, 2H), 7.60-7.56 (m, 1H), 7.45-7.41 (m, 1H), 7.39-7.34 (m, 1H), 7.31-7.29 (m, 1H), 7.24-7.21 (m, 4H), 7.09-7.05 (m, 2H), 6.96-6.93 (m, 1H), 6.42-6.40 (m, 1H), 4.85 (d, *J* = 15.0 Hz, 1H), 4.24 (s, 3H), 4.05 (d, *J* = 15.0 Hz, 1H), 2.80 (s, 3H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 192.9, 167.1, 143.0, 136.3, 135.2, 134.4, 132.6, 131.1, 129.9, 129.2, 128.9, 128.7, 128.6, 128.6, 128.5, 127.1, 127.0, 126.3, 122.0, 119.6, 119.2, 115.2, 79.0, 45.0, 43.6, 42.1 ppm.

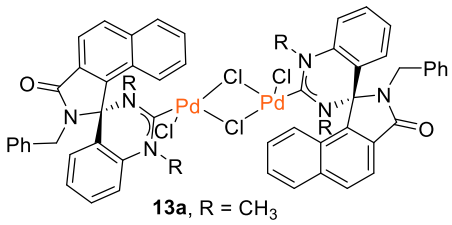
4.8 Procedure for synthesis of Pd complex 13a.



8c, R = Me　　**13**, R = Me　　**13b**, R = Me, 68% yield

Synthesis of **13a** [9]:In a nitrogen-filled glovebox, a 10 mL Schlenk tube equipped with an oven-dried magnetic stir bar was charged with **8c** (56.7 mg, 0.1 mmol, 1.0 equiv.) and $[Pd(allyl)Cl]_2$ (20.12 mg, 0.055 mmol). Anhydrous THF (2.0 mL) was added, and the resulting mixture was cooled to -78 °C with stirring for 10 min. Subsequently, a solution of NaHMDS (0.11 mmol, 1.10 equiv.) in THF was added dropwise. The reaction mixture was then allowed to warm to room temperature gradually and stirred for another 24 h. After stirring for 24 h, the solvent was removed under vacuum and the residue dissolved in CH_2Cl_2 and filtered over celite. The filtrate was removed under vacuum and the residue was purified by flash column chromatography on silica gel (eluent: PE/EA = 1/1) to afford the product **13** (81% yield) as a brown solid. (dr was determined by 1H NMR analysis.)

A 8-mL vial was charged with **13** (0.081 mol) and HCl in 1,4-dioxane (1.0 mL, 4.0 M). The color of the reaction mixture instantly changed to bright yellow-orange. Diethyl ether (4.0 mL) was added, and the resultant suspension was stirred for 1 h. The solvent was removed in vacuo, which left **13** as a bright yellow-orange powder. Then, the residue was purified by flash column chromatography on silica gel (eluent: PE/EA = 1/2) to afford the product **13a** (40.4 mg, 68% yield) as a yellow solid.



13a, R = CH_3

$[\alpha]_D^{20}$ = +60.14 (*c* = 1.0 in $CHCl_3$); **^{1}H NMR (500 MHz, Chloroform-*d*)** δ 8.14-8.08 (m, 2H), 8.03 (d, *J* = 8.0 Hz, 2H), 7.96 (d, *J* = 8.5 Hz, 2H), 7.56-7.52 (m, 4H), 7.47-7.43 (m, 2H), 7.06-6.96 (m, 6H), 6.92-6.88 (m, 6H), 6.80 (d, *J* = 8.5 Hz, 2H), 6.61-6.58 (m, 2H), 6.18-6.16 (m, 2H), 5.38 (d, *J* = 15.5 Hz, 2H), 4.65 (s, 6H), 4.22 (d, *J* = 15.5 Hz, 2H), 3.69 (s, 6H) ppm. **^{13}C NMR (125 MHz, Chloroform-*d*)** δ 182.7, 167.0, 142.9, 136.2, 135.7, 133.9, 132.2, 129.9, 129.5, 129.3, 128.8, 128.5, 128.3, 127.7, 127.0, 126.8, 126.4, 125.9, 123.4, 120.0, 119.3, 113.2, 79.3, 42.7, 42.4, 40.4 ppm. **HRMS** (ESI) m/z calculated for $C_{56}H_{46}N_6O_2NaCl_4Pd_2^+$ $[M+Na]^+$: 129.0404, found 129.0439.

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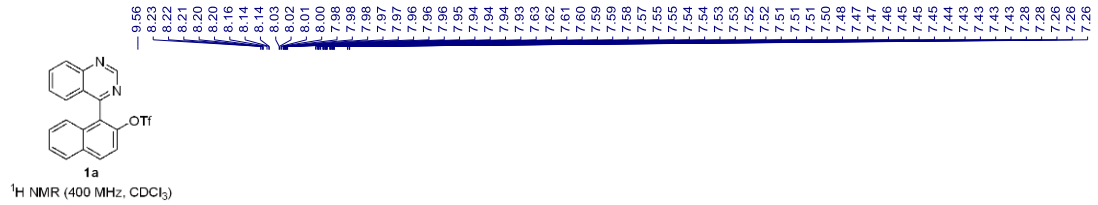
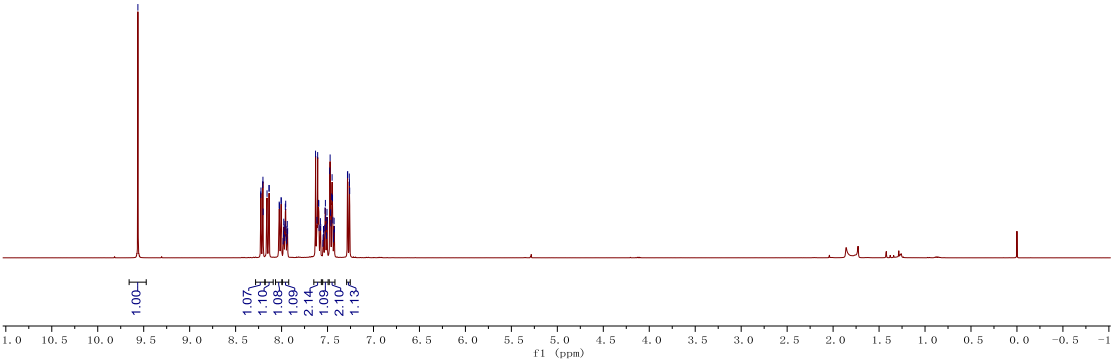
[9] Scarborough, C. C.; Grady, M. J. W.; Guzei, I. A.; Gandhi, B. A.; Bunel, E. E.; Stahl, S. S. *Angew. Chem. Int. Ed.* **2005**, *44*, 5269-5272.

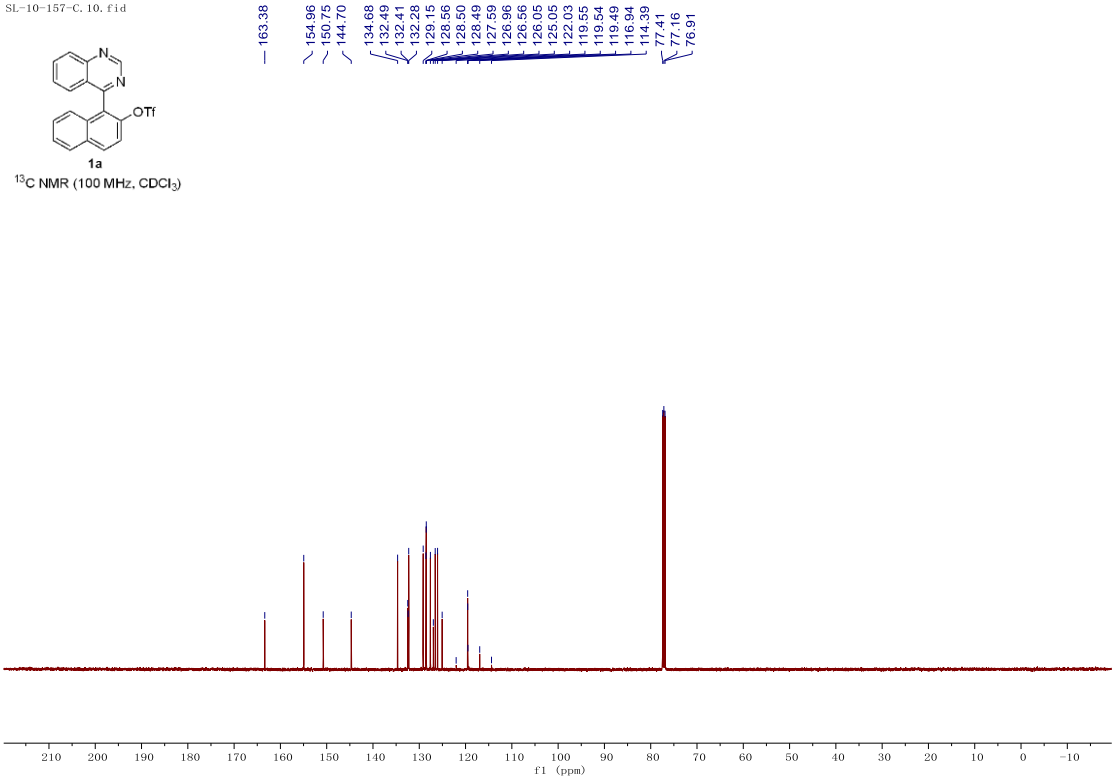
NMR Spectra



1a

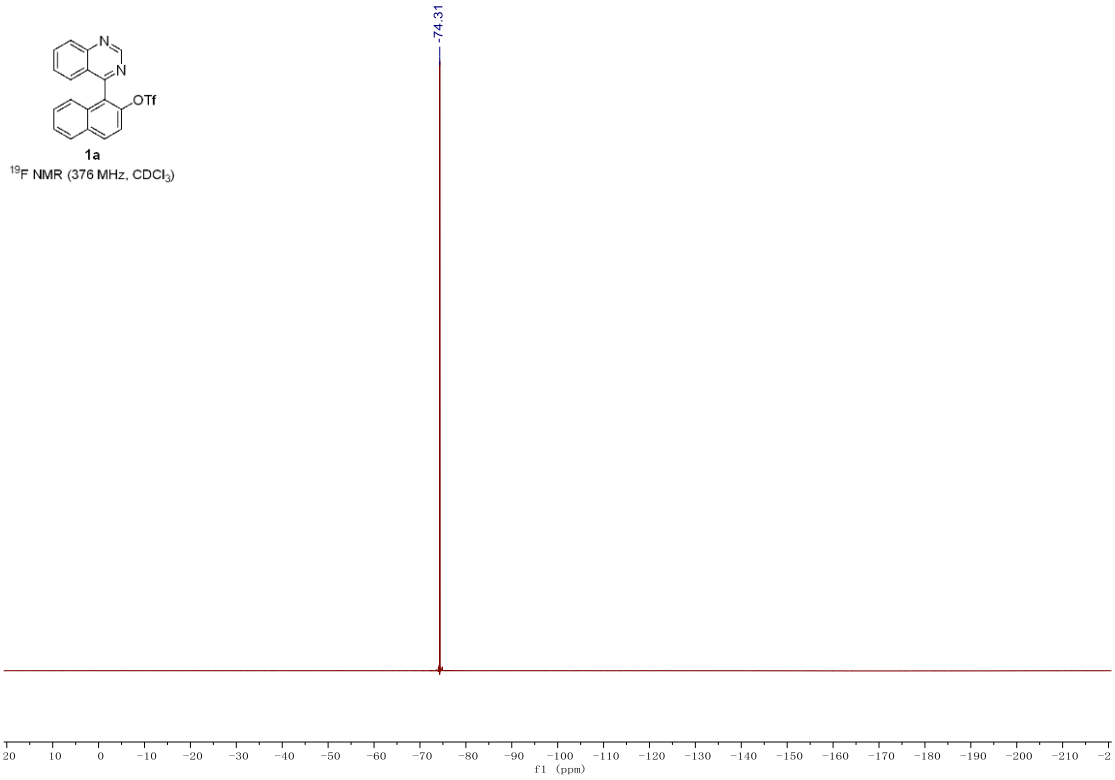
^{1}H NMR (400 MHz, $CDCl_3$)





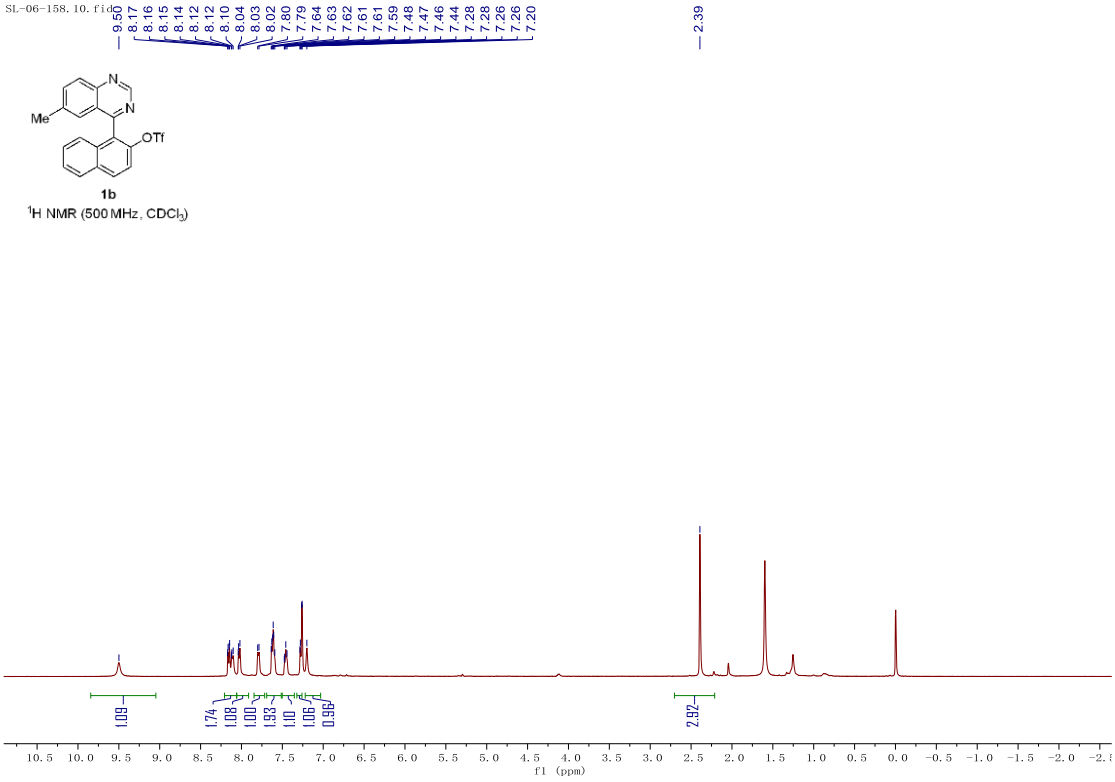
1a

^{13}C NMR (100 MHz, $CDCl_3$)



1a

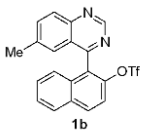
^{19}F NMR (376 MHz, $CDCl_3$)



1b

^{1}H NMR (500 MHz, $CDCl_3$)

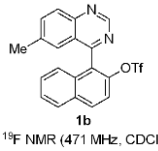
SL-06-158-C.12.fid



1b

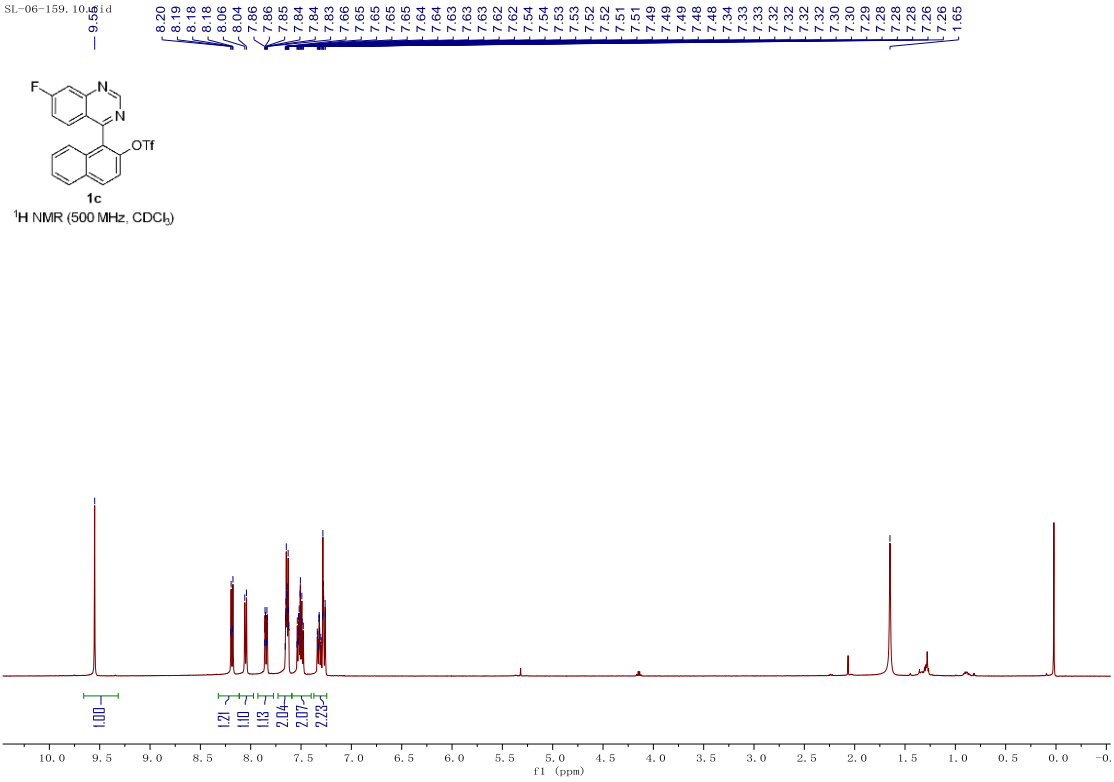
^{13}C NMR (126 MHz, $CDCl_3$)

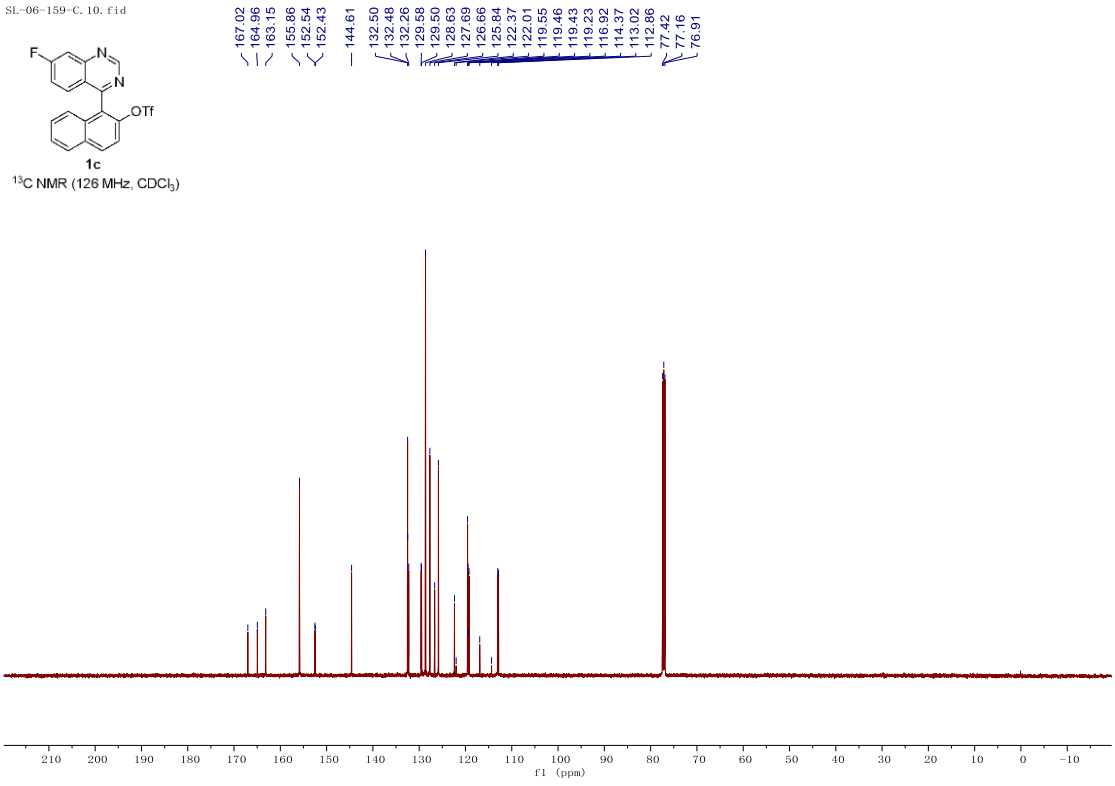
SL-06-158.11.fid



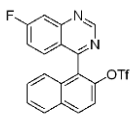
1b

^{19}F NMR (471 MHz, $CDCl_3$)


SL-06-159.10.fid
9.56
8.20 8.19 8.18 8.18 8.06 8.04 7.86 7.86 7.85 7.84 7.84 7.83 7.66 7.65 7.65 7.65 7.65 7.64 7.64 7.63 7.63 7.63 7.62 7.62 7.54 7.54 7.53 7.53 7.52 7.52 7.51 7.51 7.49 7.49 7.49 7.48 7.48 7.34 7.33 7.33 7.32 7.32 7.32 7.30 7.30 7.29 7.28 7.28 7.26 7.26 1.65
F
N
N
OTf
1c
1H NMR (500 MHz, CDCl3)
1.00
1.21
1.10
1.13
2.04
2.07
2.23
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 -0.
f1 (ppm)


SL-06-159-C.10.fid
167.02
164.96
163.15
155.86
152.54
152.43
144.61
132.50
132.48
132.26
129.58
129.50
128.63
127.69
126.66
125.84
122.37
122.01
119.55
119.46
119.43
119.23
116.92
114.37
113.02
112.86
77.42
77.16
76.91
F
N
N
OTf
1c
13C NMR (126 MHz, CDCl3)
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)

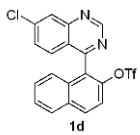
SL-06-159.11.fid



1c

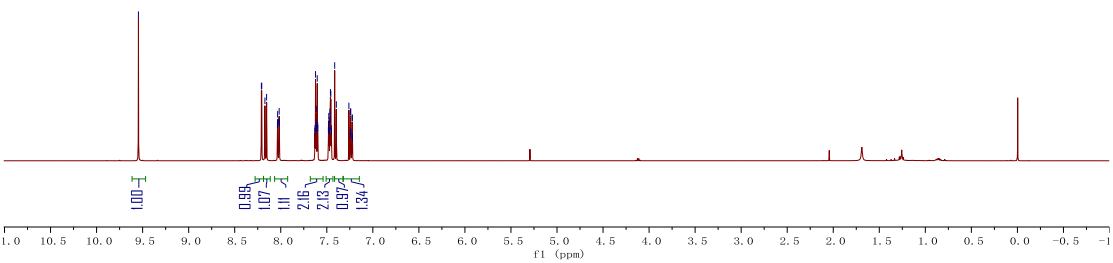
^{19}F NMR (471 MHz, $CDCl_3$)

SL-06-181.10.fid

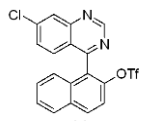


1d

^{1}H NMR (500 MHz, $CDCl_3$)



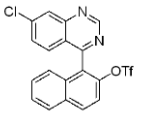
SL-06-181-C. 10. fid



1d

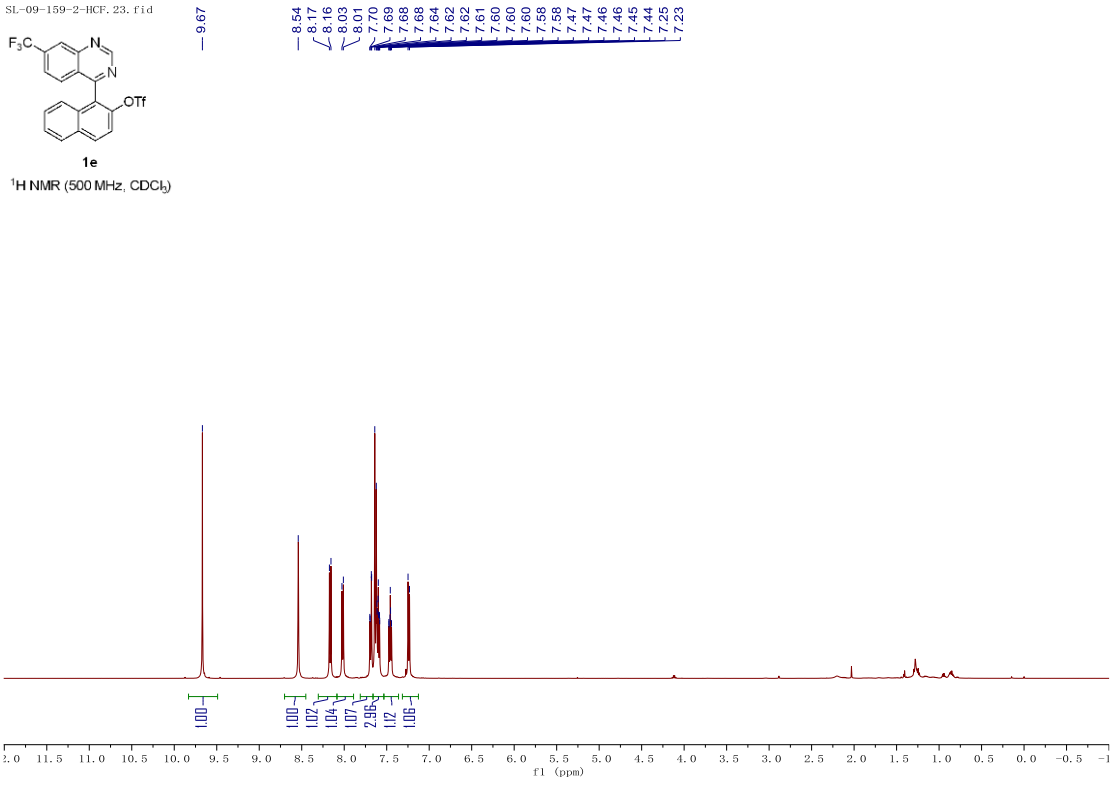
^{13}C NMR (126 MHz, $CDCl_3$)

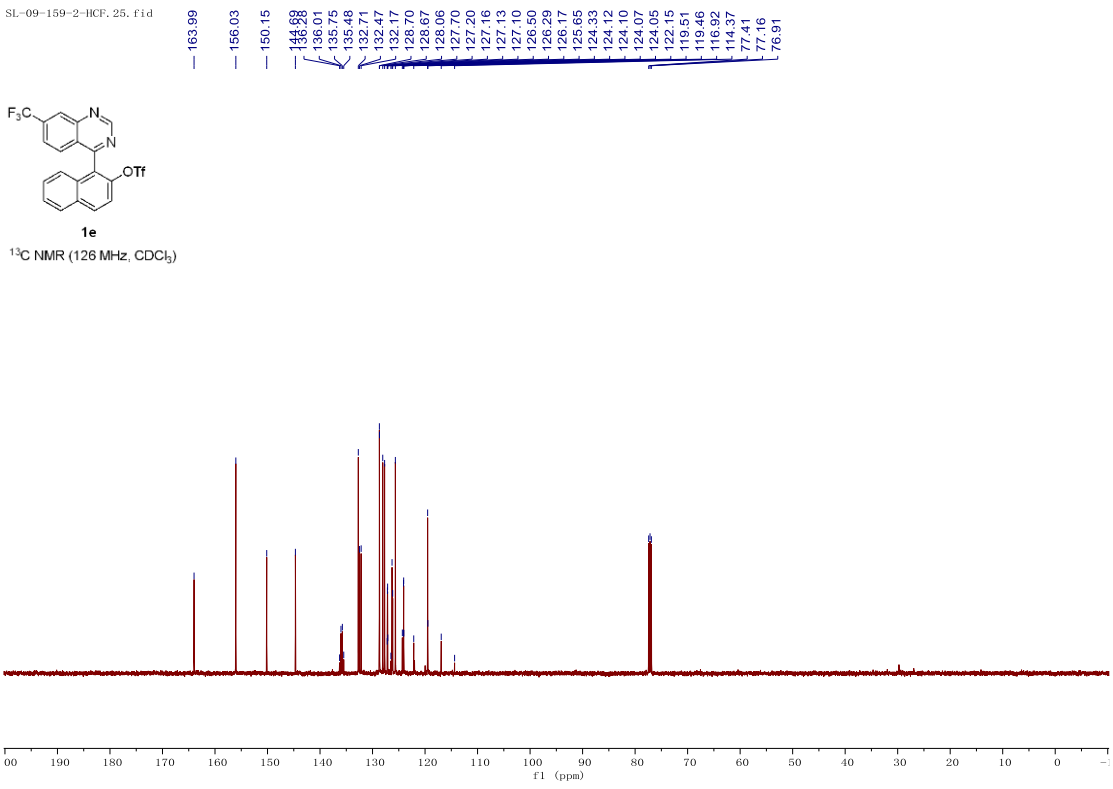
SL-06-181. 11. fid



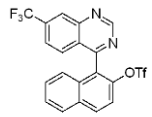
1d

^{19}F NMR (471 MHz, $CDCl_3$)


SL-09-159-2-HCF. 23. fid
9.67
8.54
8.17
8.16
8.03
8.01
7.70
7.69
7.68
7.68
7.64
7.62
7.62
7.61
7.60
7.60
7.60
7.58
7.58
7.47
7.47
7.46
7.46
7.45
7.44
7.25
7.23
F3C
N
N
OTf
1e
1H NMR (500 MHz, CDCl3)
1.00
1.00
1.02
1.04
1.07
2.96
1.12
1.06
f1 (ppm)


SL-09-159-2-HCF. 25. fid
163.99
156.03
150.15
144.69
136.28
136.01
135.75
135.48
132.71
132.47
132.17
128.70
128.67
128.06
127.70
127.20
127.16
127.13
127.10
126.50
126.29
126.17
125.65
124.33
124.12
124.10
124.07
124.05
122.15
119.51
119.46
116.92
114.37
77.41
77.16
76.91
F3C
N
N
OTf
1e
13C NMR (126 MHz, CDCl3)
f1 (ppm)

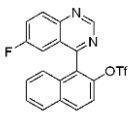
SL-09-159-2-HCF. 24. fid



1e

^{19}F NMR (471 MHz, $CDCl_3$)

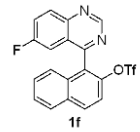
SL-08-38-HF-HCF. 10. fid



1f

^{1}H NMR (500 MHz, $CDCl_3$)

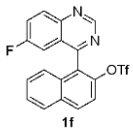
SL-08-38-C.10.fid



1f

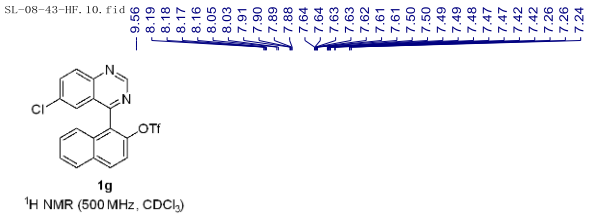
^{13}C NMR (126 MHz, $CDCl_3$)

SL-08-38-HF-HCF.11.fid



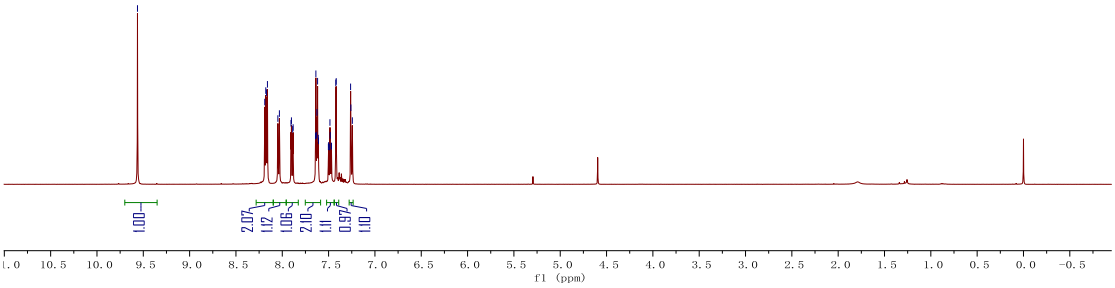
1f

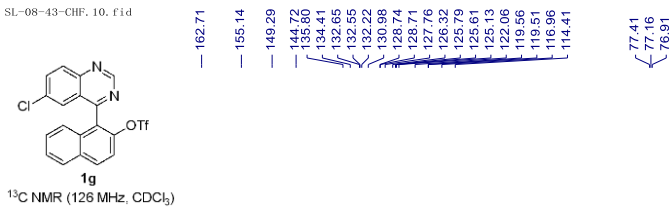
^{19}F NMR (471 MHz, $CDCl_3$)



1g

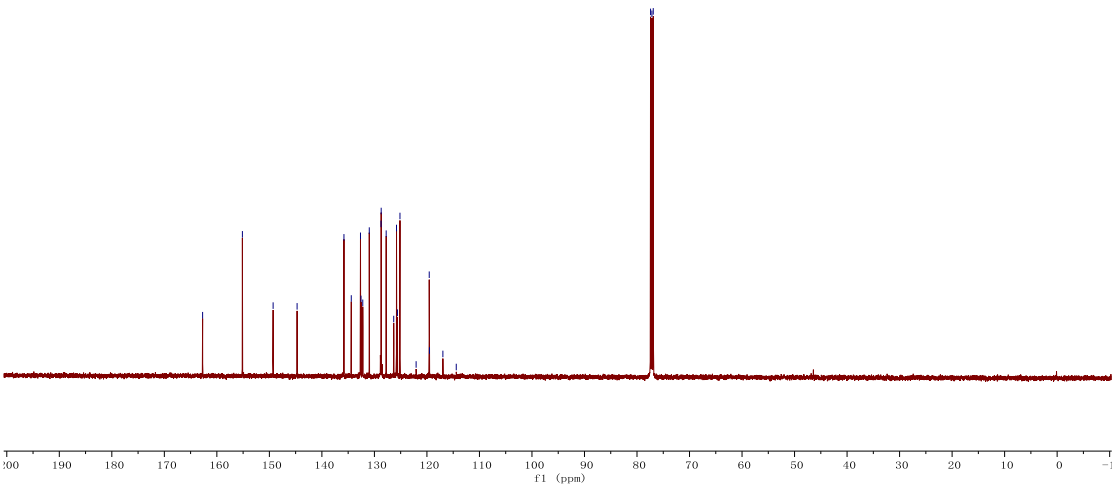
^{1}H NMR (500 MHz, $CDCl_3$)



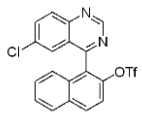


1g

^{13}C NMR (126 MHz, $CDCl_3$)



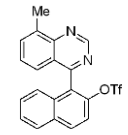
SL-08-43-HF.11.fid



1g

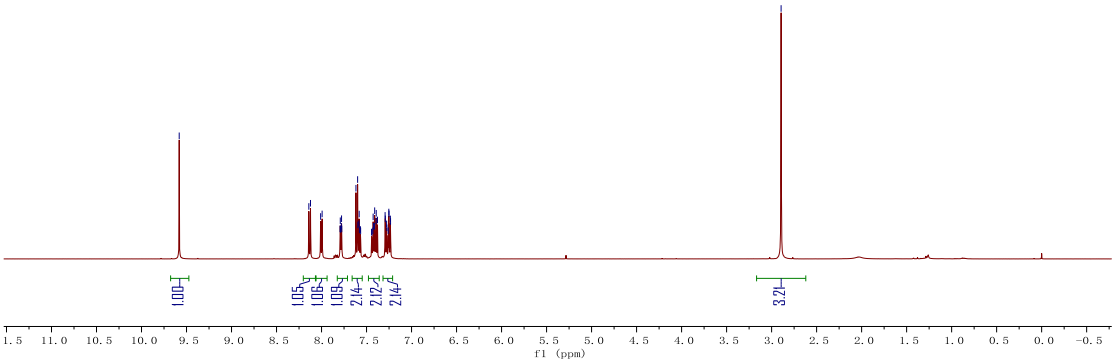
^{19}F NMR (471 MHz, $CDCl_3$)

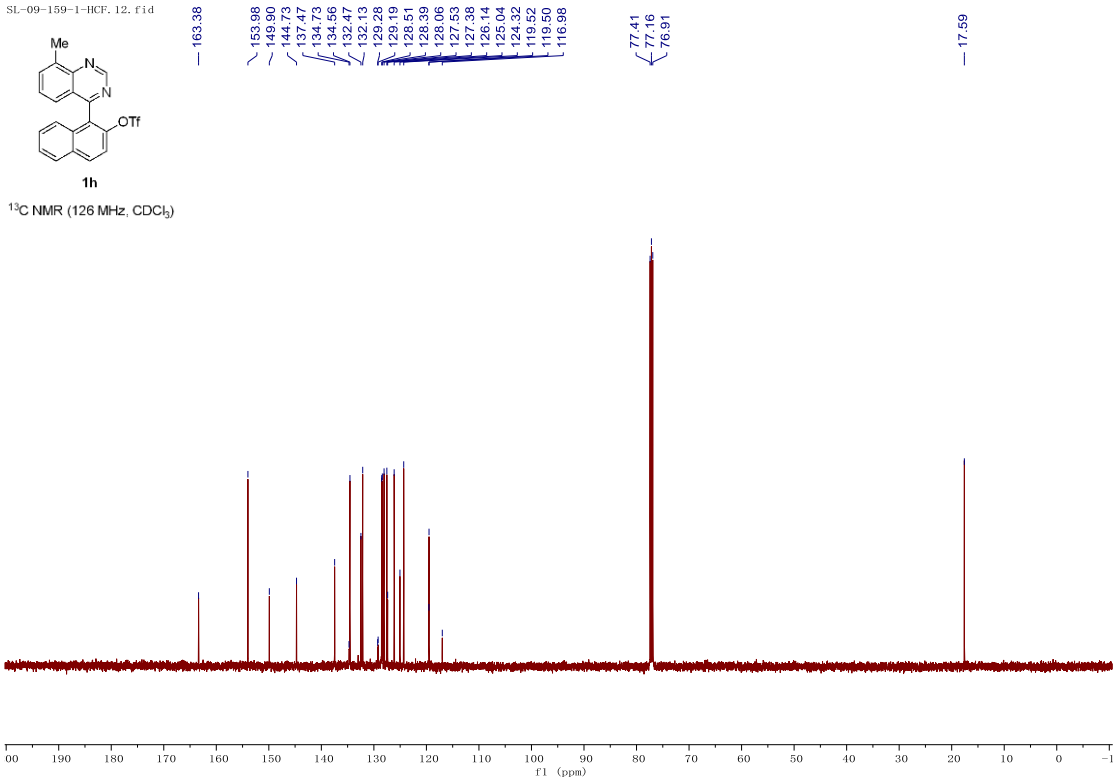
SL-09-159-1-HCF.10.fid



1h

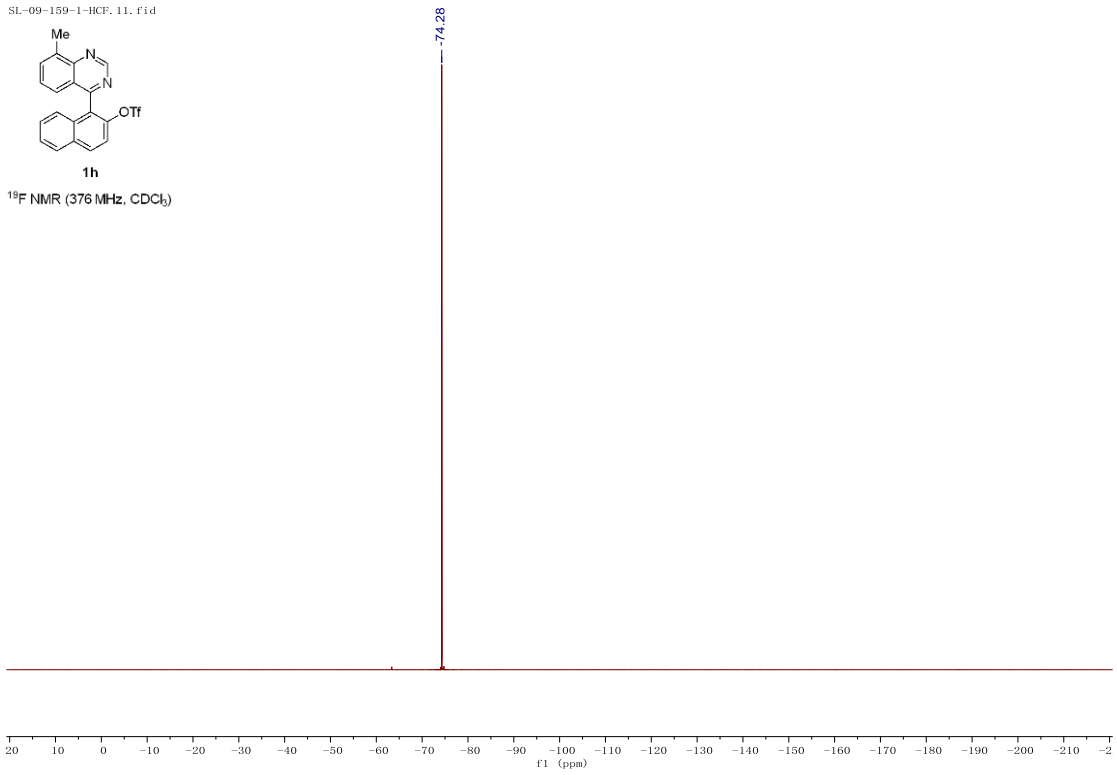
^{1}H NMR (500 MHz, $CDCl_3$)





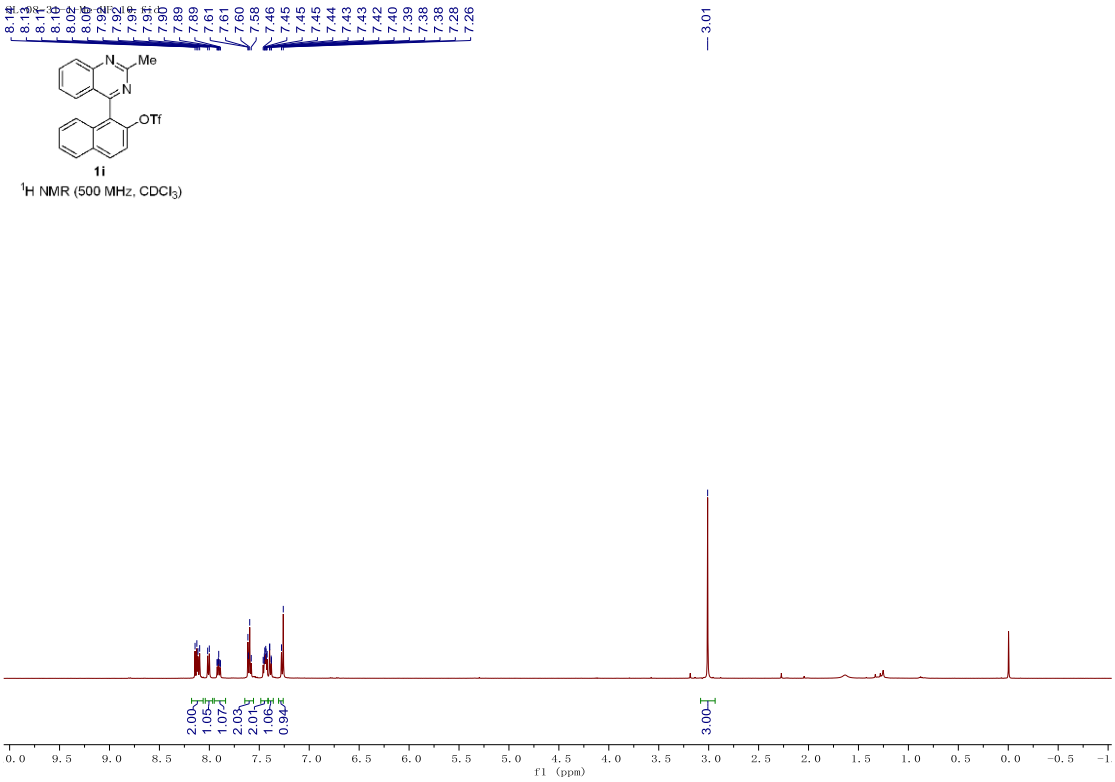
1h

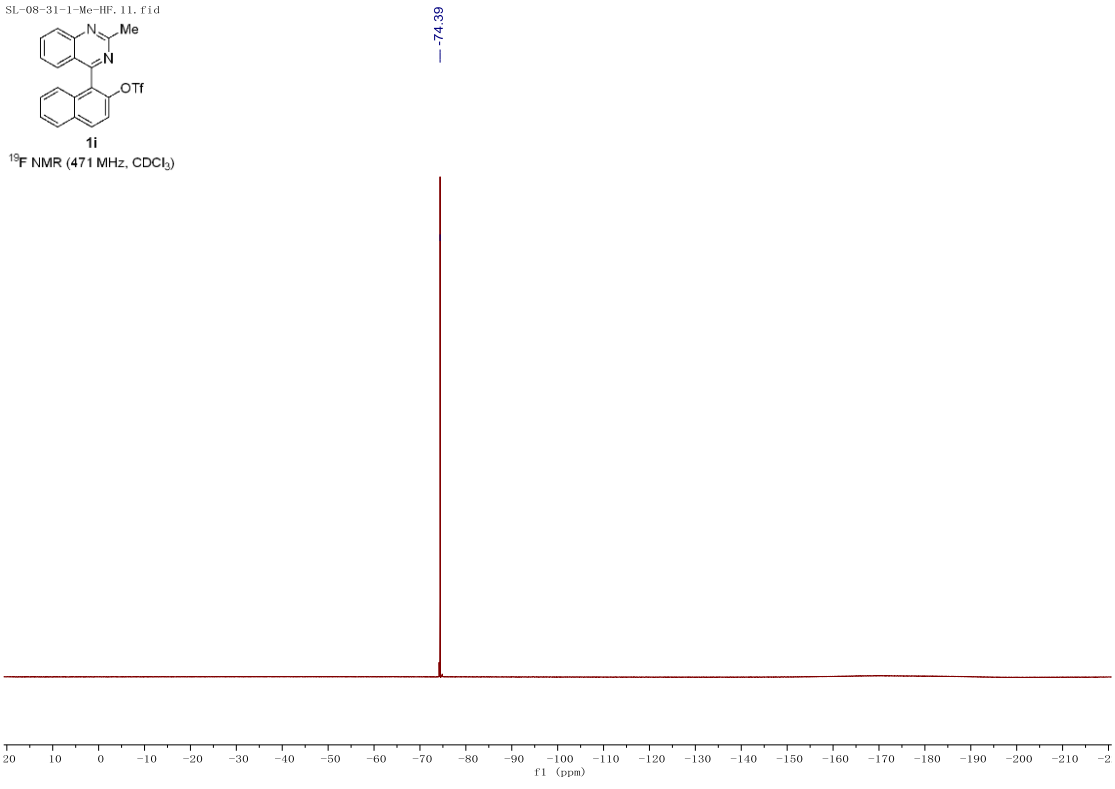
^{13}C NMR (126 MHz, $CDCl_3$)

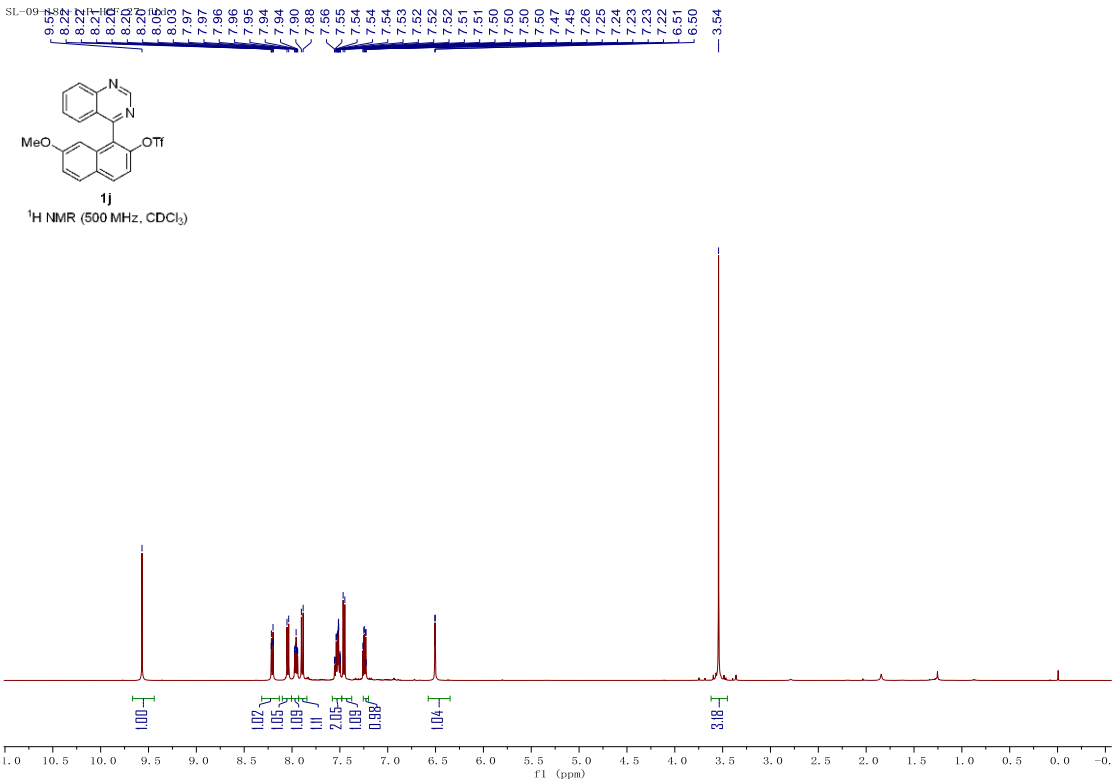


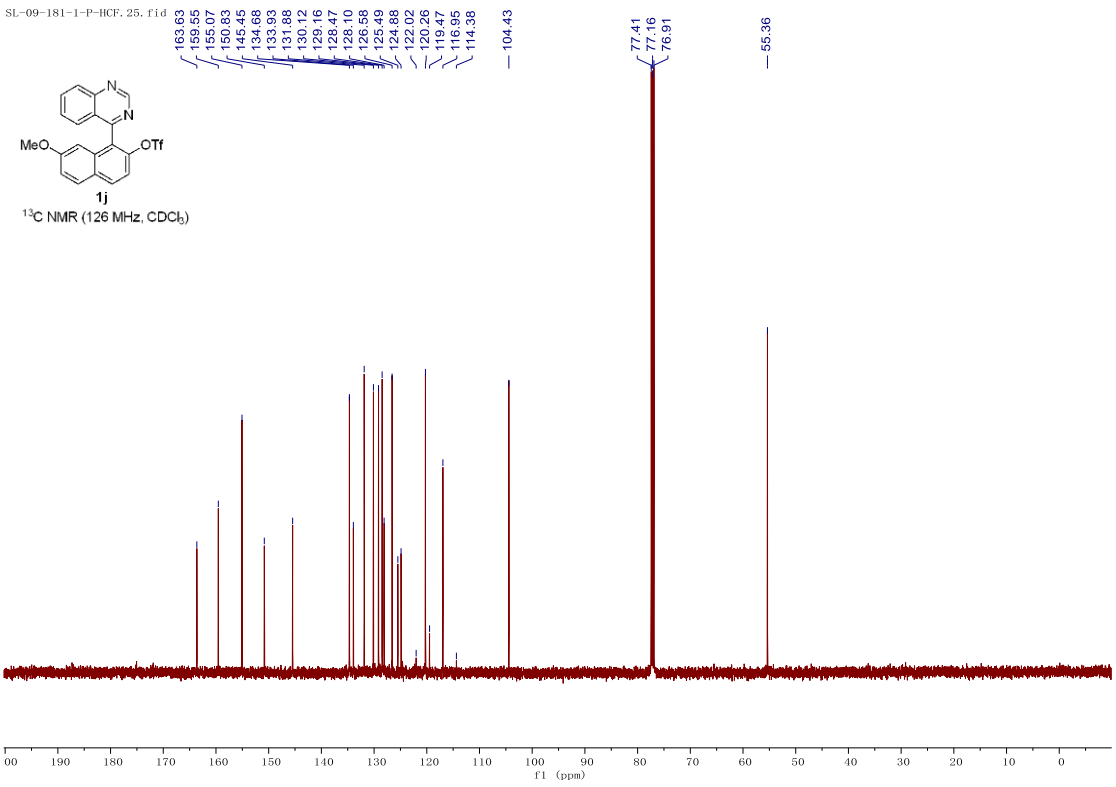
1h

^{19}F NMR (376 MHz, $CDCl_3$)

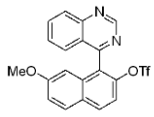

8.14
8.13
8.11
8.10
8.02
8.00
7.92
7.91
7.90
7.89
7.61
7.60
7.58
7.46
7.45
7.45
7.45
7.44
7.43
7.43
7.42
7.40
7.39
7.38
7.38
7.28
7.26
3.01
Me
N
N
OTf
1i
1H NMR (500 MHz, CDCl3)
2.00
1.05
1.07
2.03
2.01
1.06
0.94
3.00
0.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


SL-08-31-1-Me-HF.11.fid
-74.39
Me
N
N
OTf
1i
19F NMR (471 MHz, CDCl3)
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


9.57
8.22
8.21
8.20
8.07
8.05
8.03
7.97
7.96
7.95
7.94
7.90
7.88
7.56
7.55
7.54
7.53
7.52
7.51
7.50
7.47
7.45
7.26
7.25
7.24
7.23
6.51
6.50
3.54
N
N
MeO
OTf
1j
1H NMR (500 MHz, CDCl3)
1.00
1.02
1.05
1.09
1.11
2.05
1.09
0.98
1.04
3.18
f1 (ppm)


SL-09-181-1-P-HCF.25.fid
163.63
159.55
155.07
150.83
145.45
134.68
133.93
131.88
130.12
129.16
128.47
128.10
126.58
125.49
124.88
122.02
120.26
119.47
116.95
114.38
104.43
77.41
77.16
76.91
55.36
N
N
MeO
OTf
1j
13C NMR (126 MHz, CDCl3)
f1 (ppm)

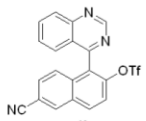
SL-09-181-1-P-HCF.26.fid



1j

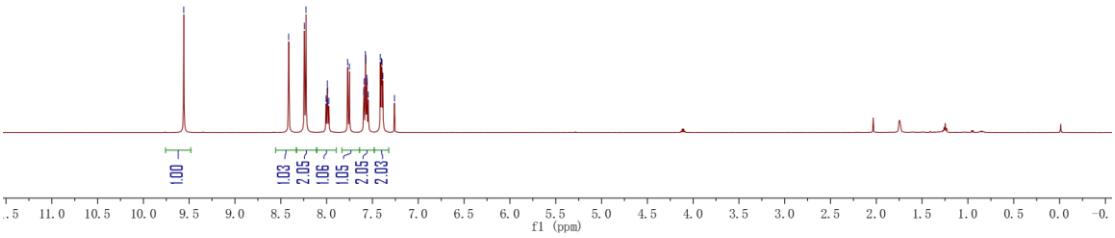
^{19}F NMR (471 MHz, $CDCl_3$)

SL-09-181-2-HCF.23.fid

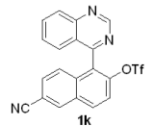


1k

^{1}H NMR (500 MHz, $CDCl_3$)



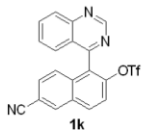
SL-09-181-2-HCF.25.fid



1k

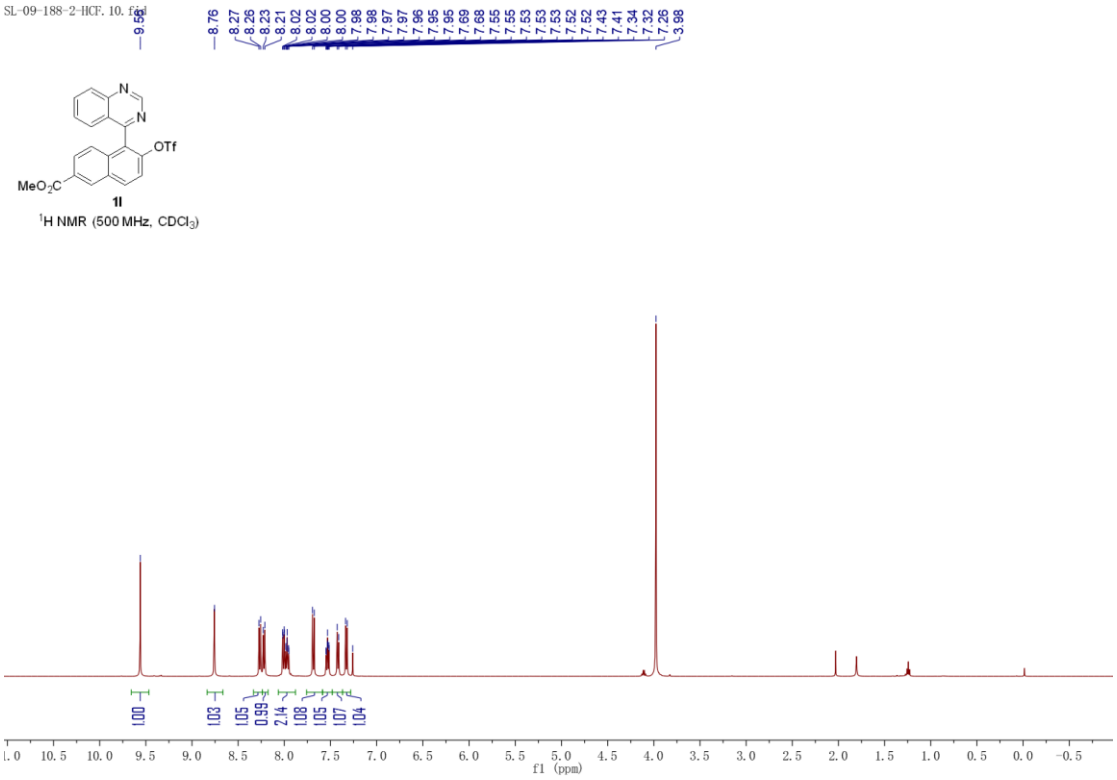
^{13}C NMR (126 MHz, $CDCl_3$)

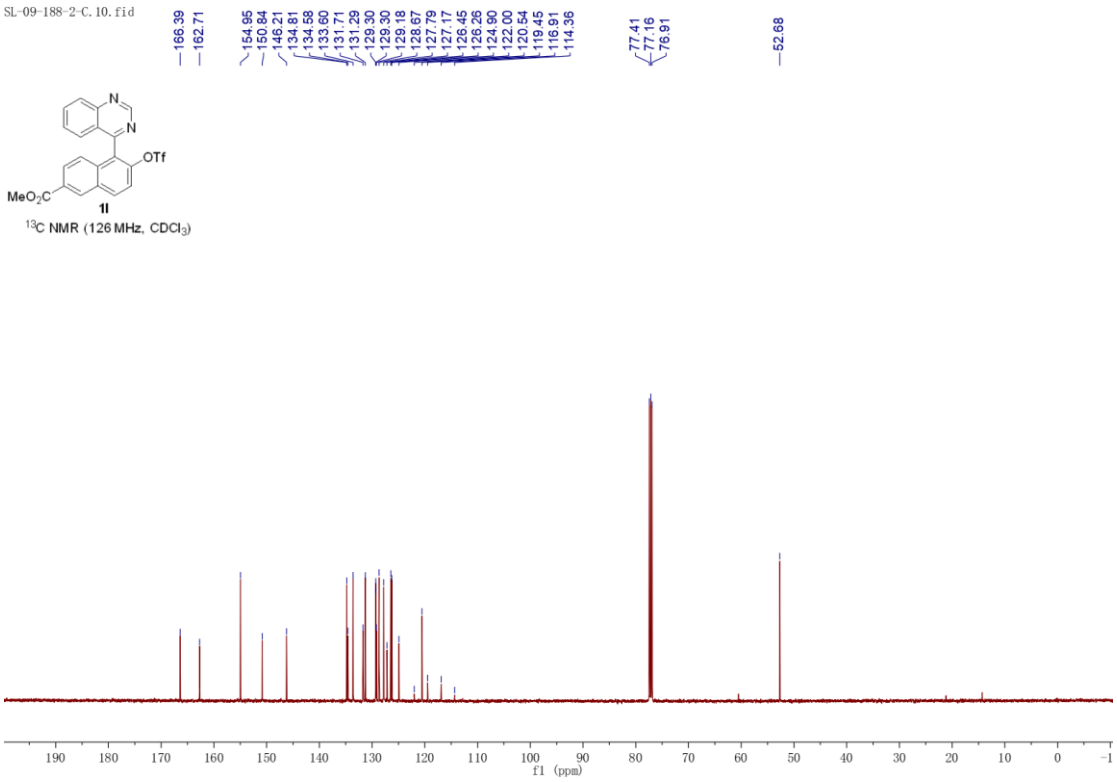
SL-09-181-2-HCF.24.fid



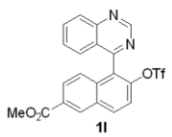
1k

^{19}F NMR (471 MHz, $CDCl_3$)


SL-09-188-2-HCF.10.fid
9.56
8.76
8.27
8.26
8.23
8.21
8.02
8.02
8.00
8.00
7.98
7.97
7.97
7.96
7.95
7.95
7.69
7.68
7.55
7.55
7.53
7.53
7.52
7.52
7.43
7.41
7.34
7.32
7.26
3.98
N
N
OTf
MeO2C
1l
1H NMR (500 MHz, CDCl3)
1.00
1.03
1.05
0.93
2.14
1.08
1.05
1.07
1.04
f1 (ppm)


SL-09-188-2-C.10.fid
166.39
162.71
154.95
150.84
146.21
134.81
134.58
133.60
131.71
131.29
129.30
129.30
129.18
128.67
127.79
127.17
126.45
126.26
124.90
122.00
120.54
119.45
116.91
114.36
77.41
77.16
76.91
52.68
N
N
OTf
MeO2C
1l
13C NMR (126 MHz, CDCl3)
f1 (ppm)

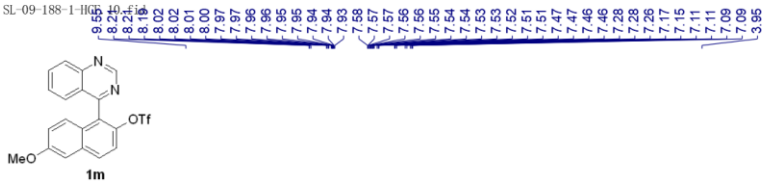
SL-09-188-2-HCF. 11. fid



1l

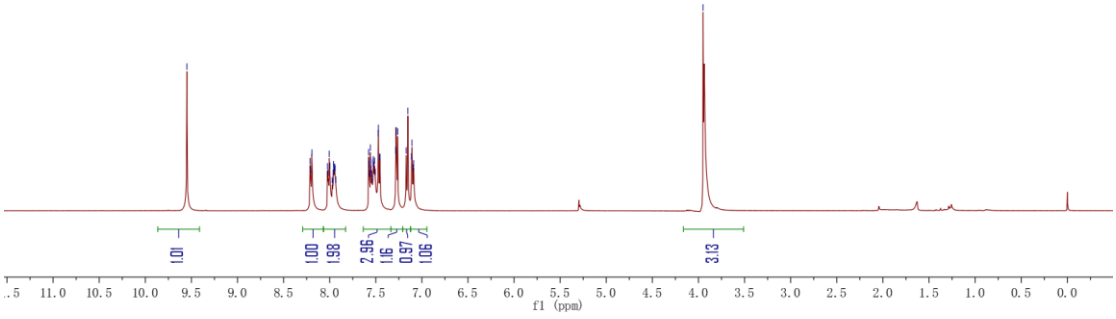
^{19}F NMR (471 MHz, $CDCl_3$)

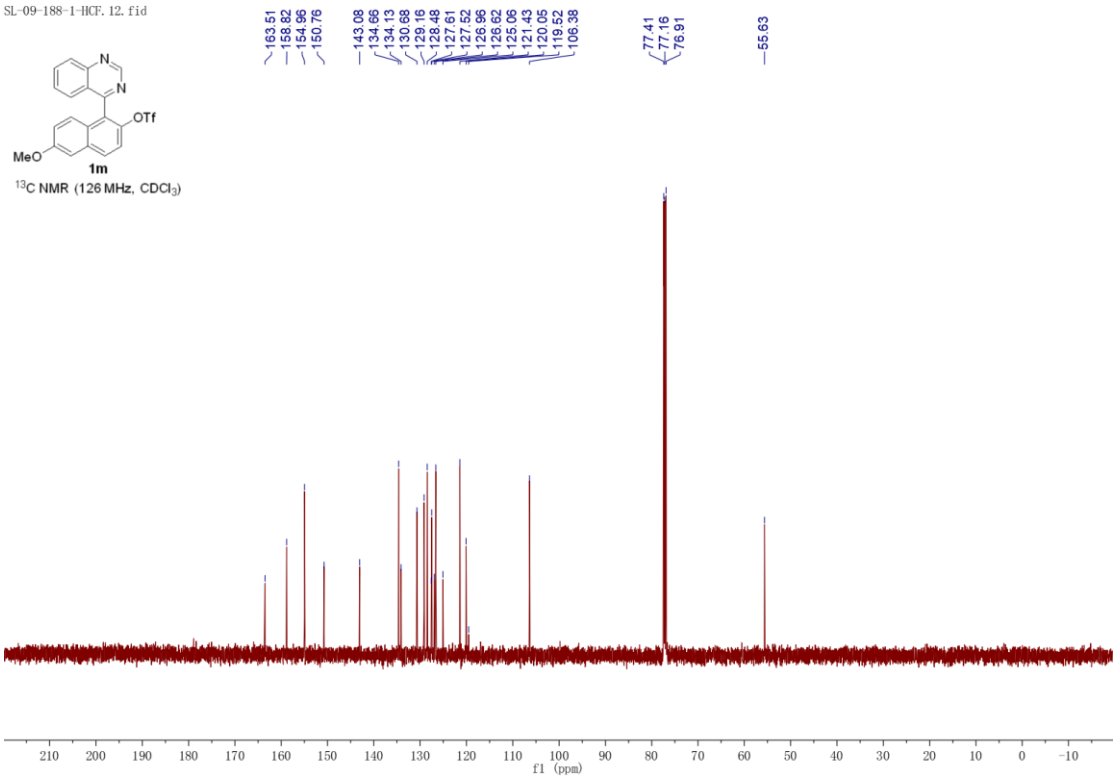
SL-09-188-1-HCF. 10. fid

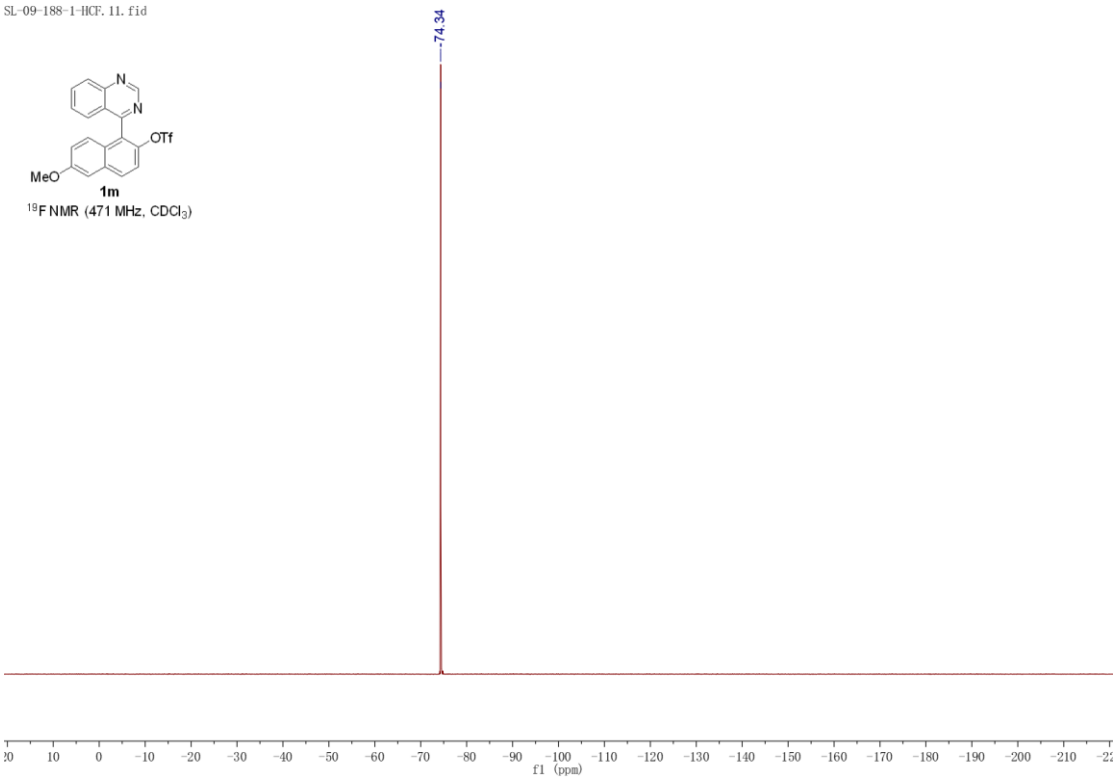


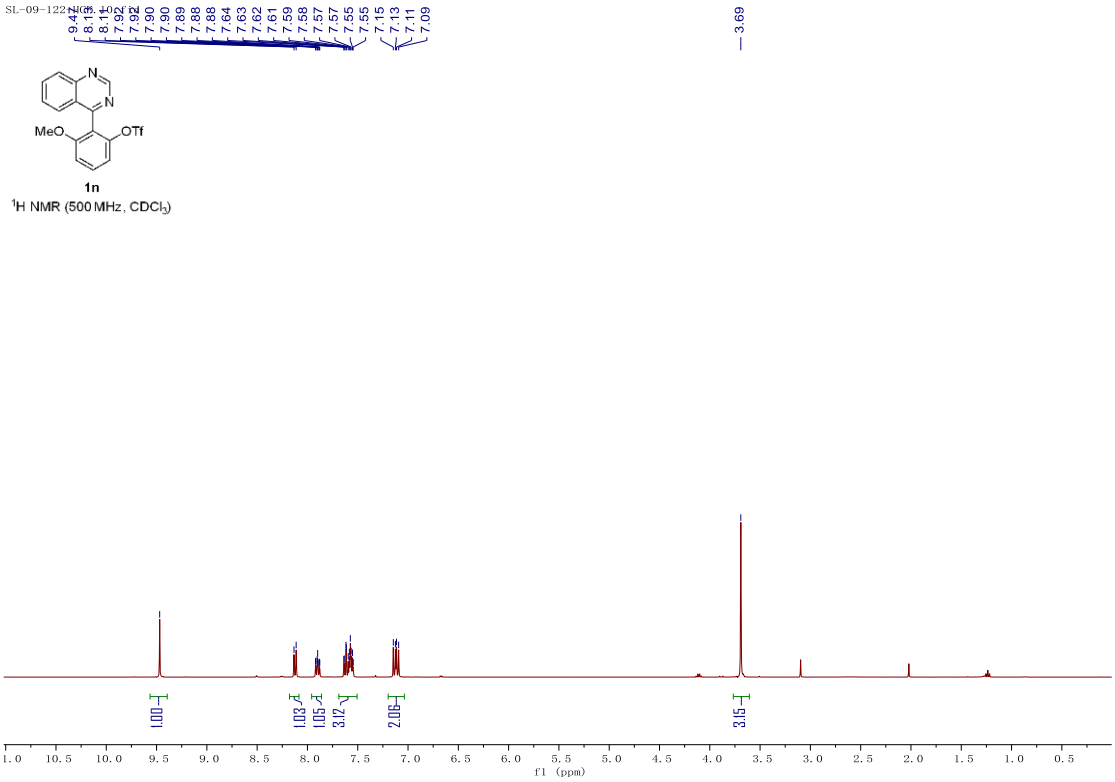
1m

^{1}H NMR (500 MHz, $CDCl_3$)



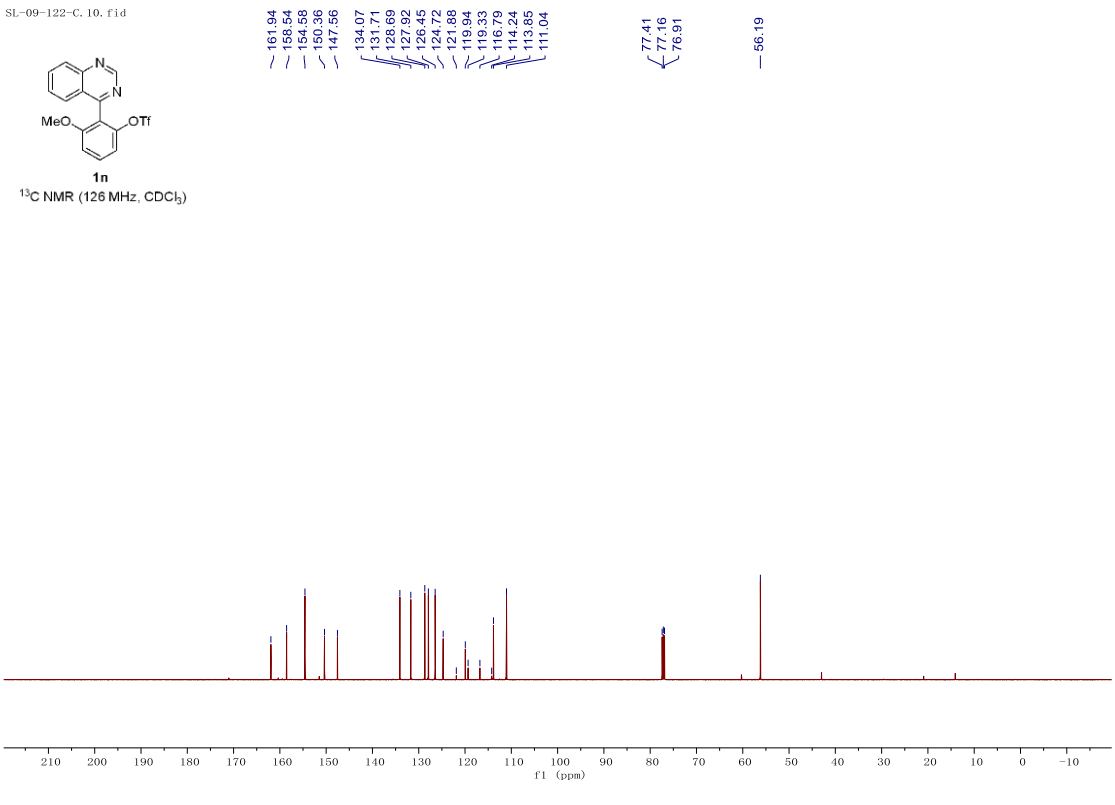

SL-09-188-1-HCF. 12. fid
163.51
158.82
154.96
150.76
143.08
134.66
134.13
130.68
129.16
128.48
127.61
127.52
126.96
126.62
125.06
121.43
120.05
119.52
106.38
77.41
77.16
76.91
55.63
N
N
OTf
MeO
1m
13C NMR (126 MHz, CDCl3)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)


SL-09-188-1-HCF. 11. fid
-74.34
N
N
OTf
MeO
1m
19F NMR (471 MHz, CDCl3)
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)



1n

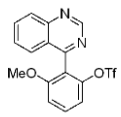
^{1}H NMR (500 MHz, $CDCl_3$)



1n

^{13}C NMR (126 MHz, $CDCl_3$)

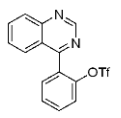
SL-09-122-F.10.fid



1n

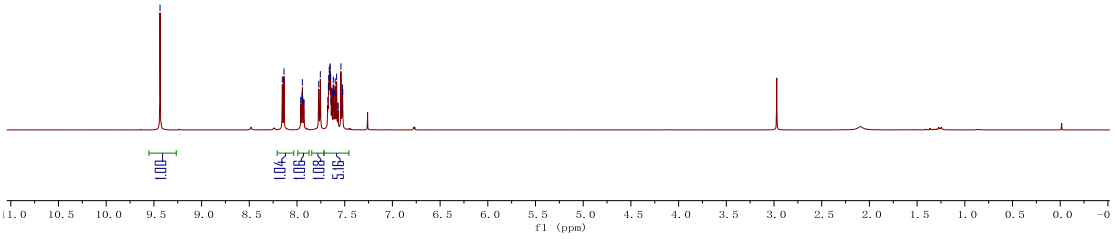
^{19}F NMR (471 MHz, $CDCl_3$)

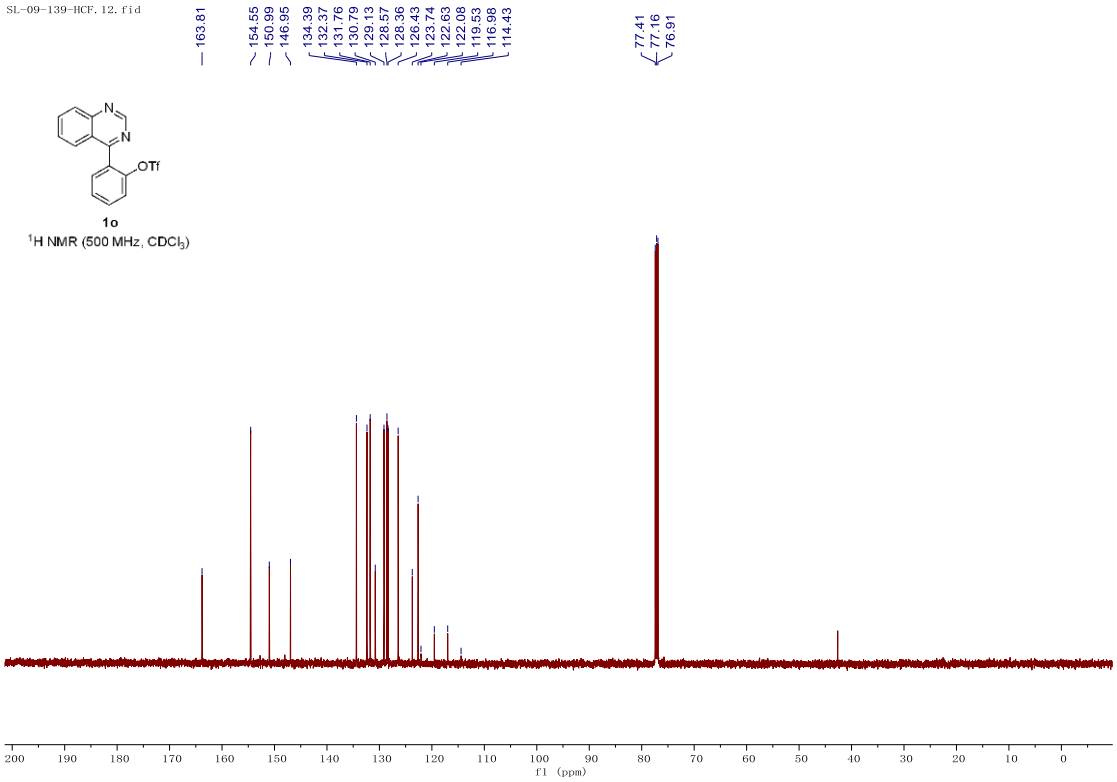
SL-09-139-HCF.10.fid

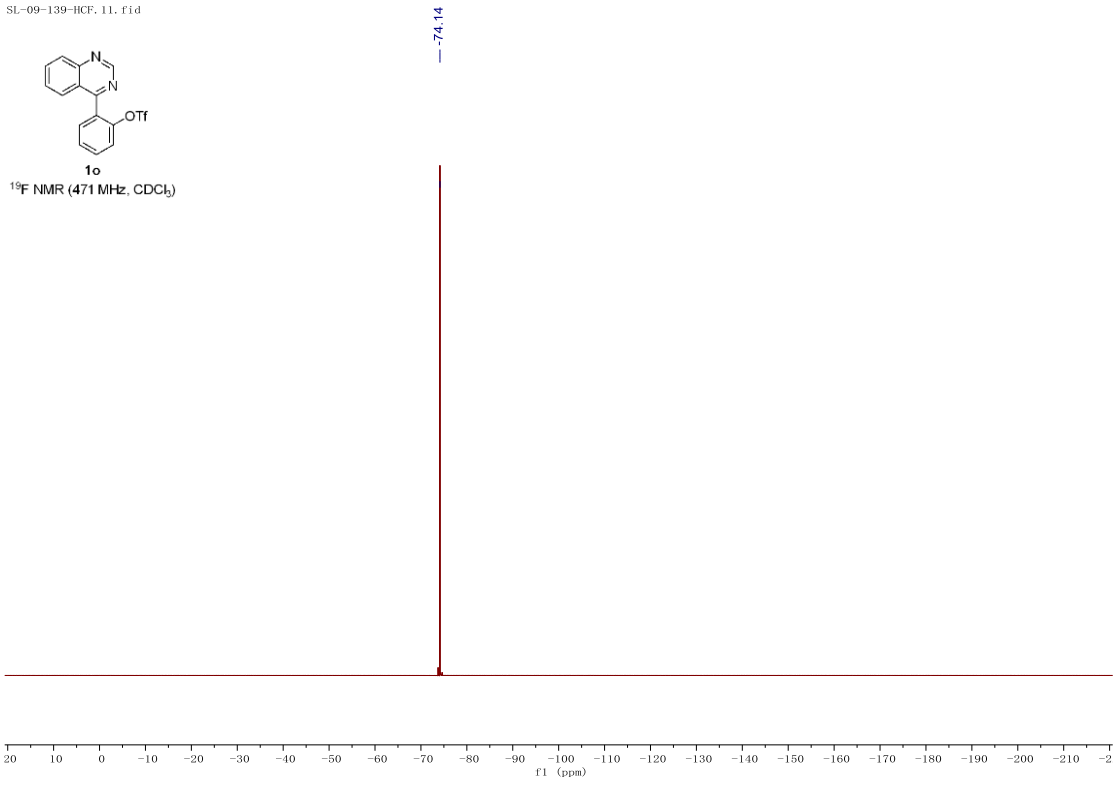


1o

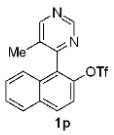
^{1}H NMR (500 MHz, $CDCl_3$)




SL-09-139-HCF.12.fid
163.81
154.55
150.99
146.95
134.39
132.37
131.76
130.79
129.13
128.57
128.36
126.43
123.74
122.63
122.08
119.53
116.98
114.43
77.41
77.16
76.91
N
N
OTf
1o
^{1}H NMR (500 MHz, CDCl$_3$)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


SL-09-139-HCF.11.fid
-74.14
N
N
OTf
1o
^{19}F NMR (471 MHz, CDCl$_3$)
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -2
f1 (ppm)

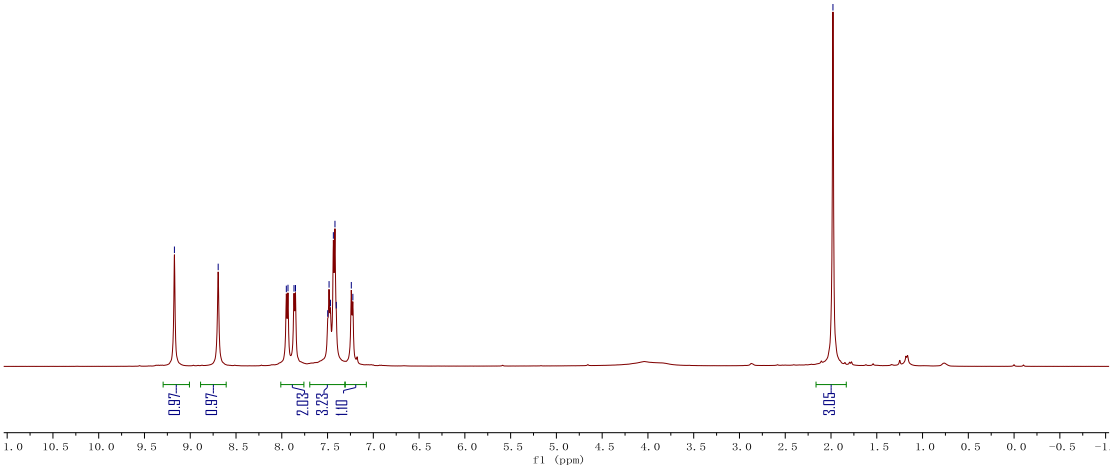
SL-09-165-1-HCF.22.fid



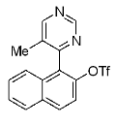
1p

^{1}H NMR (500 MHz, $CDCl_3$)

9.17 8.69 7.95 7.93 7.87 7.85 7.50 7.48 7.47 7.44 7.42 7.40 7.24 7.22 1.98



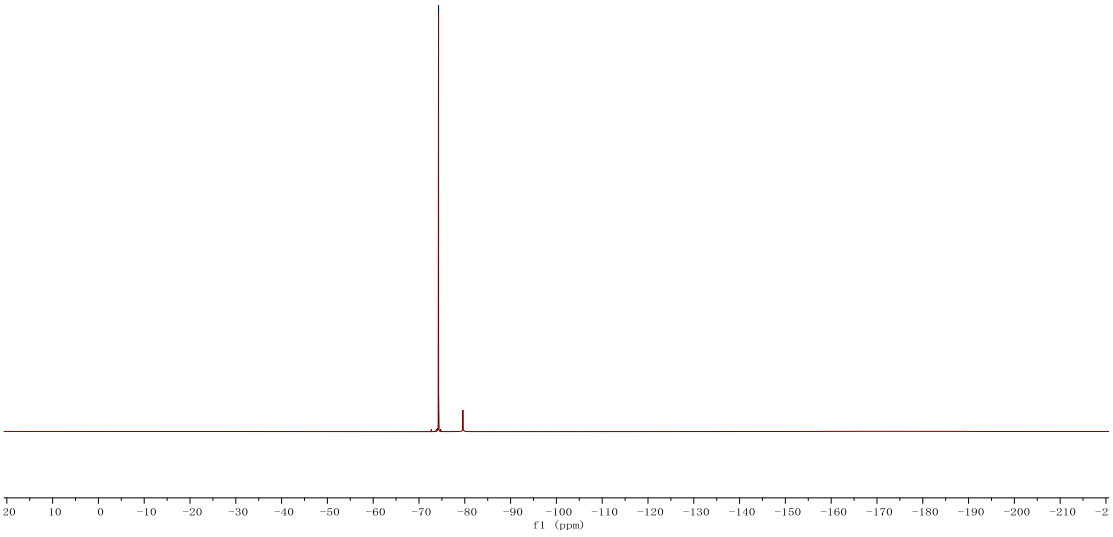
SL-09-165-1-HCF.23.fid

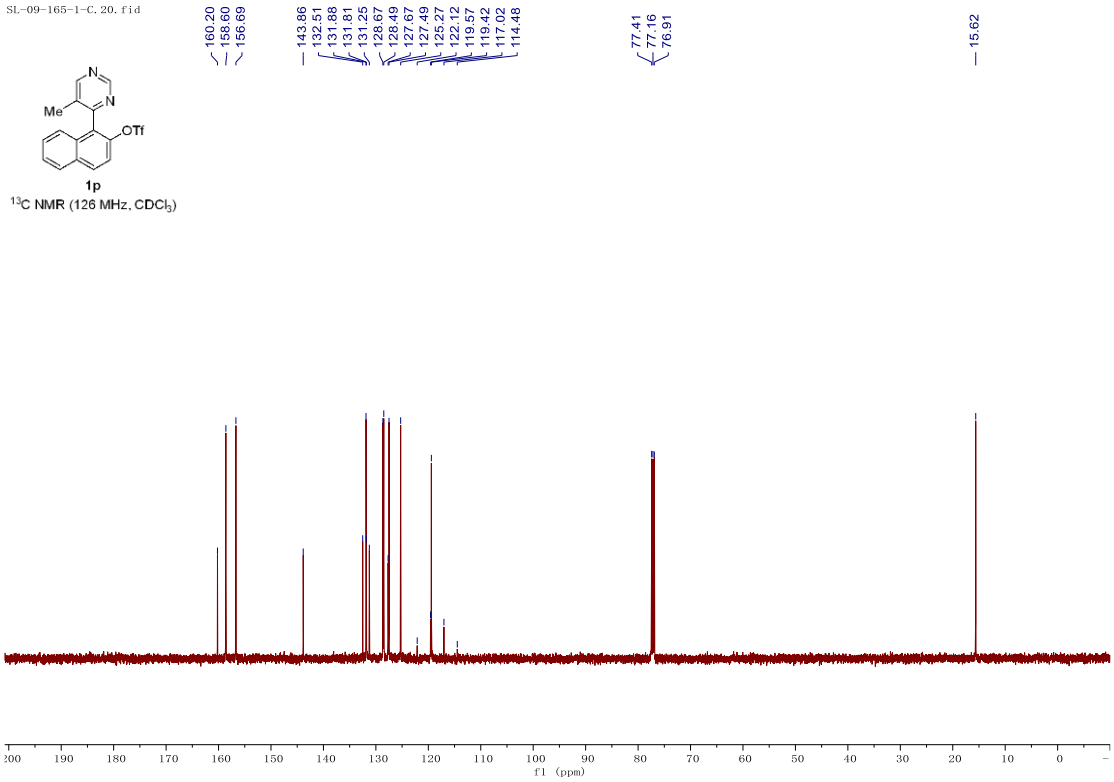


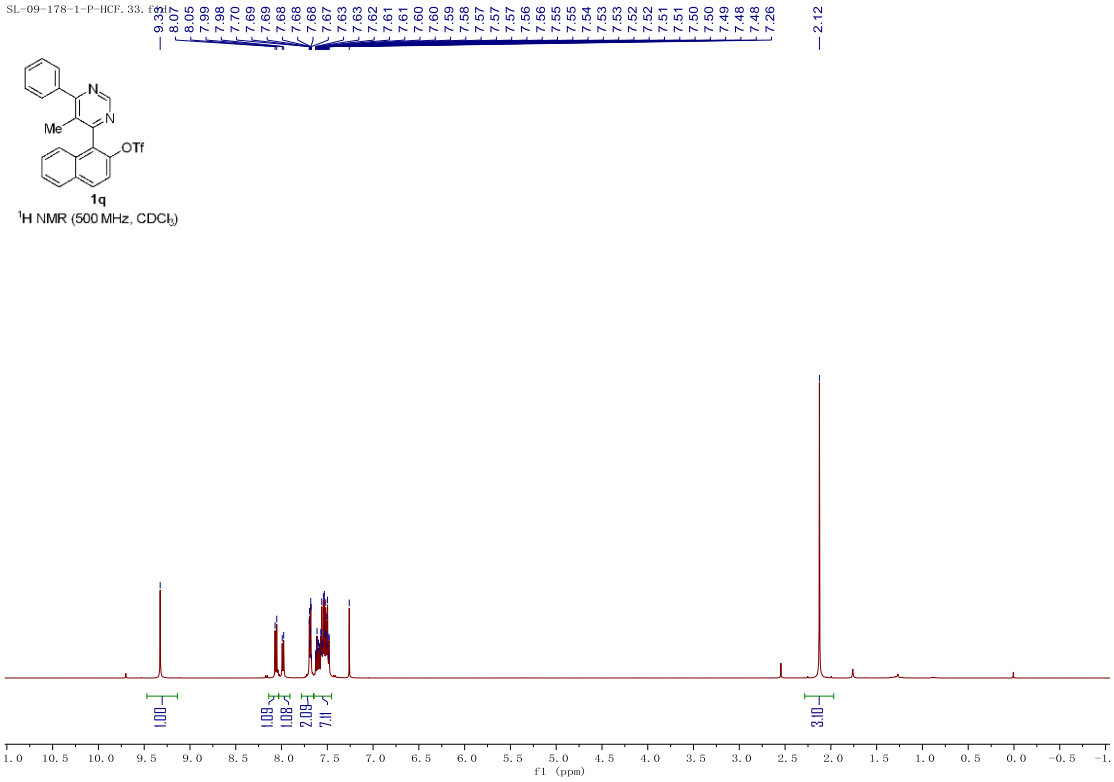
1p

^{19}F NMR (471 MHz, $CDCl_3$)

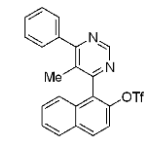
-74.27




SL-09-165-1-C. 20. fid
160.20
158.60
156.69
143.86
132.51
131.88
131.81
131.25
128.67
128.49
127.67
127.49
125.27
122.12
119.57
119.42
117.02
114.48
77.41
77.16
76.91
15.62
Me
OTf
1p
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SL-09-178-1-P-HCF. 33. fid
9.39
8.07
8.05
7.99
7.98
7.70
7.69
7.69
7.68
7.68
7.68
7.67
7.63
7.62
7.61
7.61
7.60
7.60
7.59
7.58
7.57
7.57
7.57
7.56
7.56
7.55
7.55
7.54
7.53
7.53
7.52
7.52
7.51
7.51
7.50
7.50
7.49
7.48
7.48
7.26
2.12
Me
OTf
1q
1H NMR (500 MHz, CDCl3)
1.00
1.09
1.08
2.09
7.11
3.10
f1 (ppm)

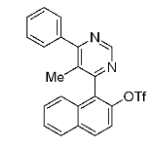
SL-09-178-1-P-HCF.34.fid



1q

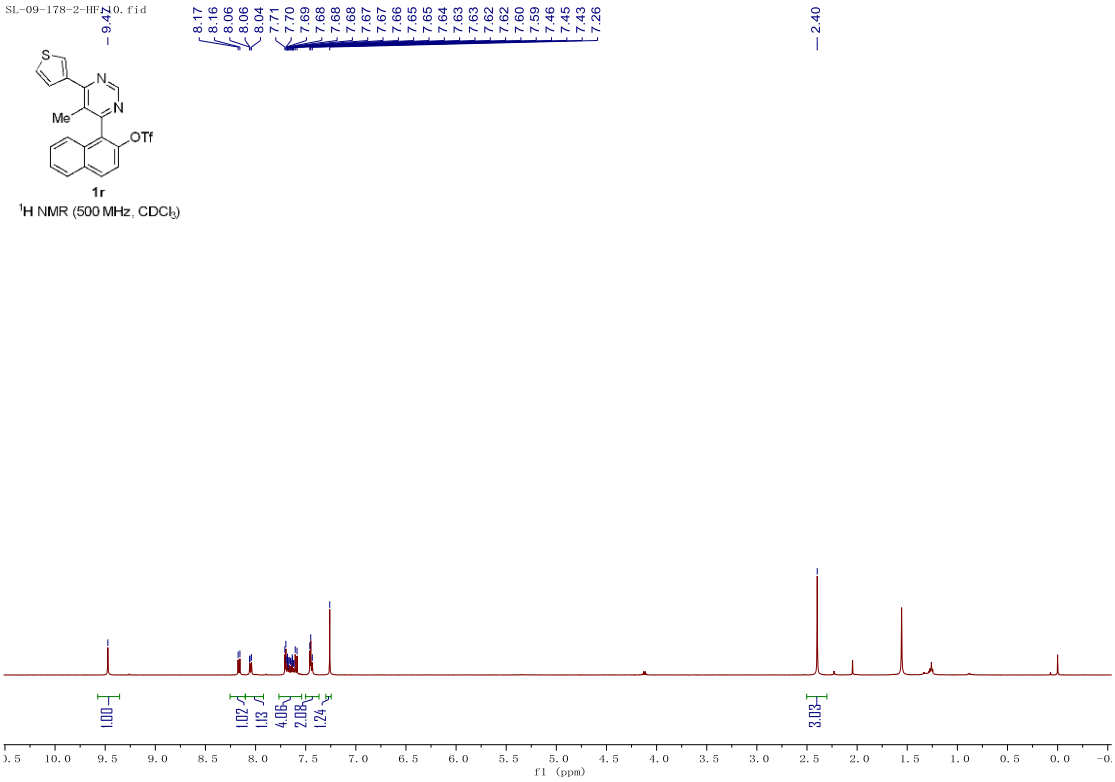
^{19}F NMR (471 MHz, $CDCl_3$)

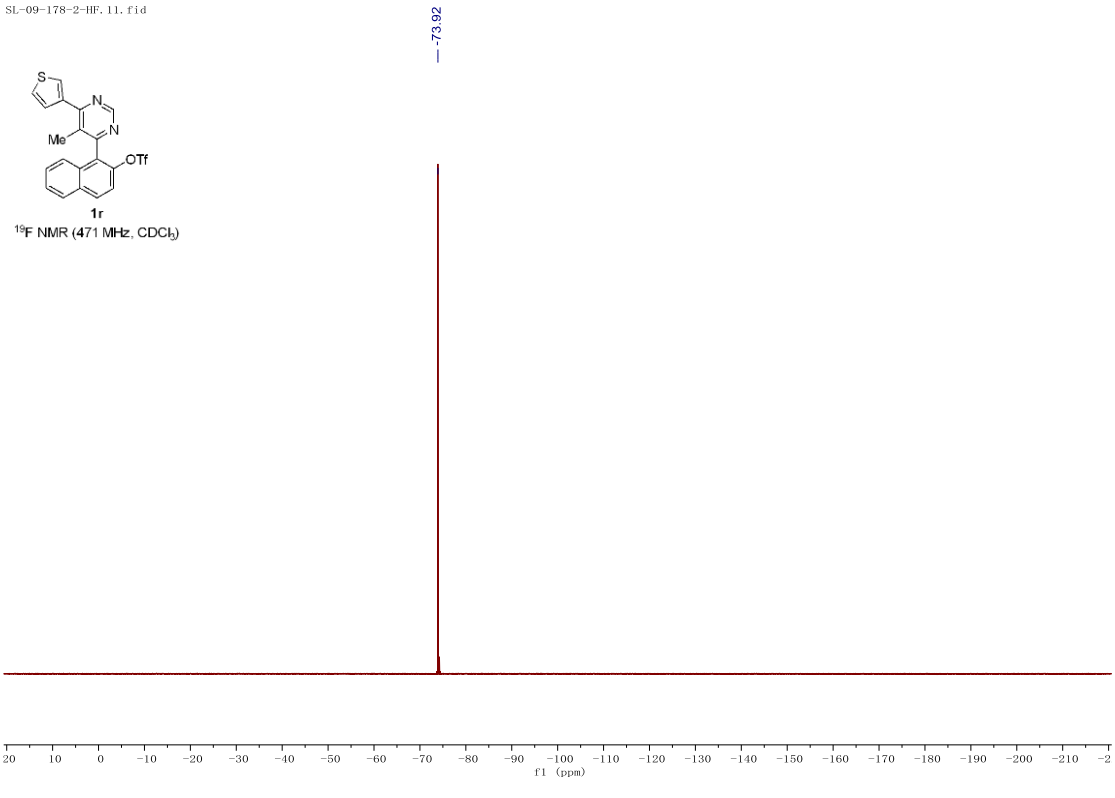
SL-09-178-1-P-HCF.35.fid

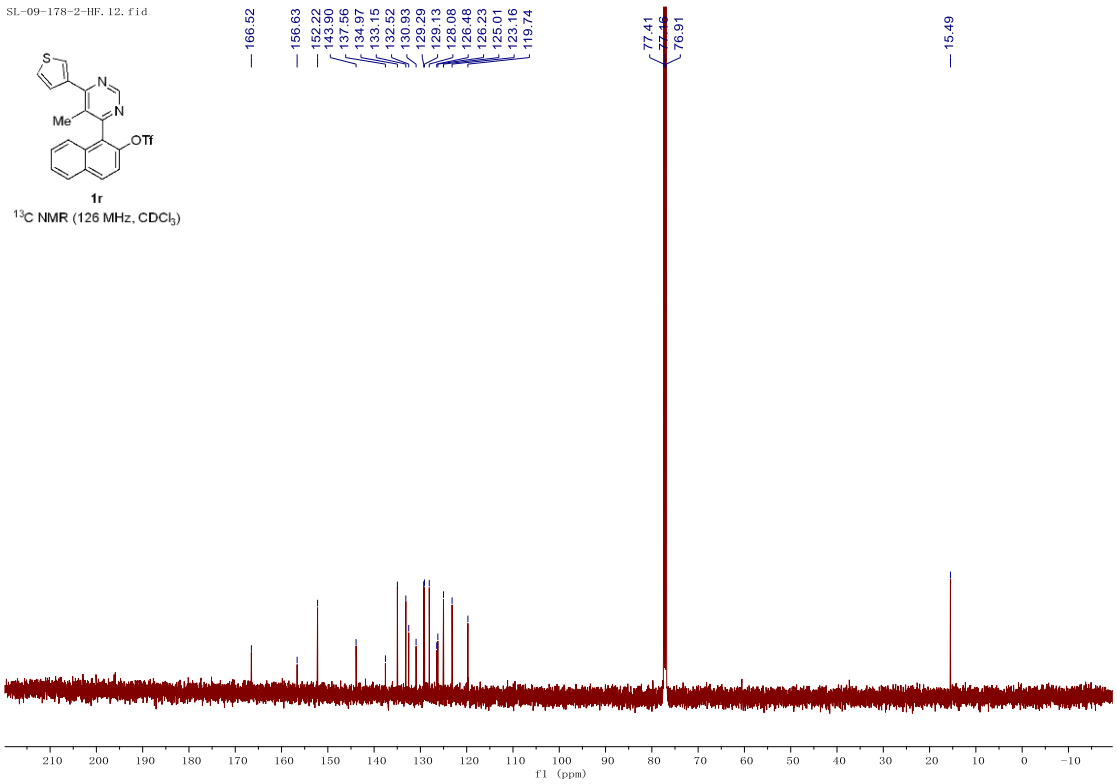


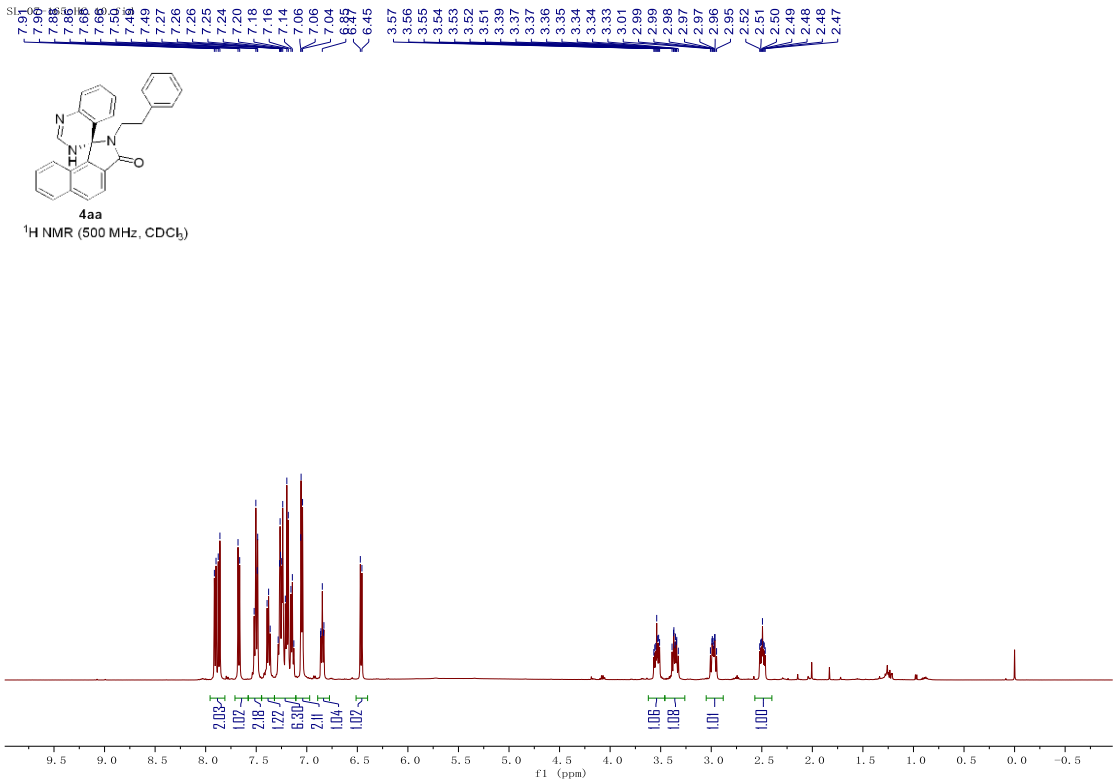
1q

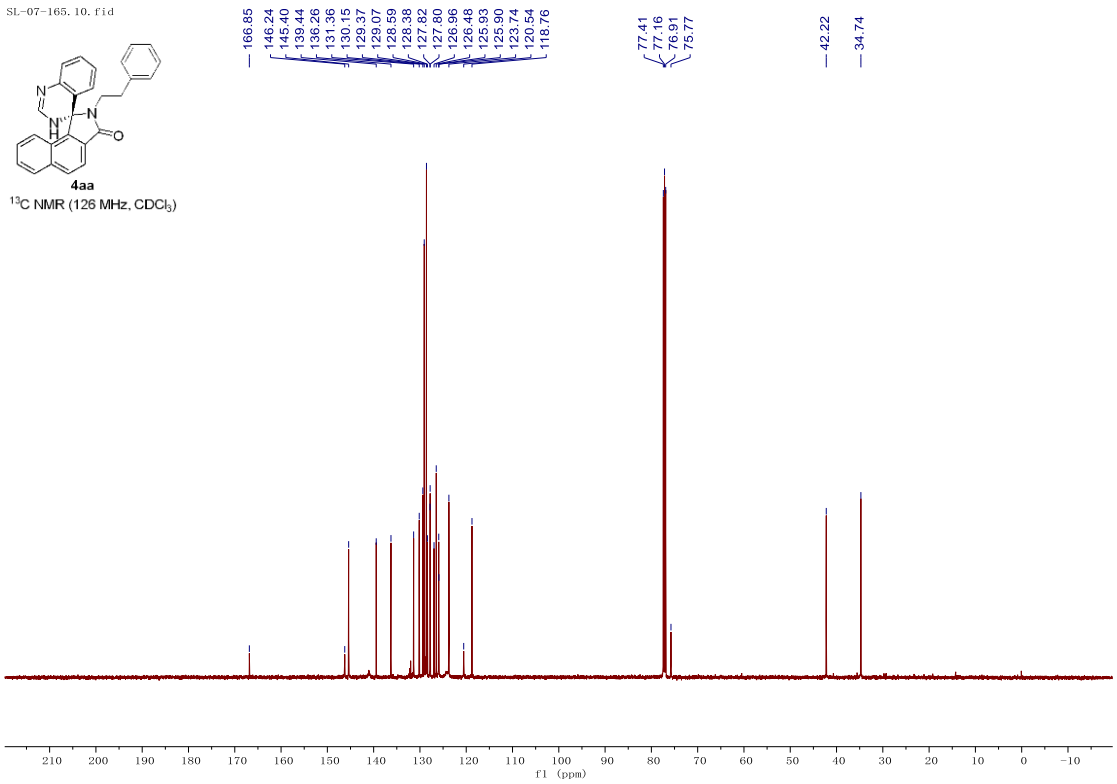
^{13}C NMR (126 MHz, $CDCl_3$)

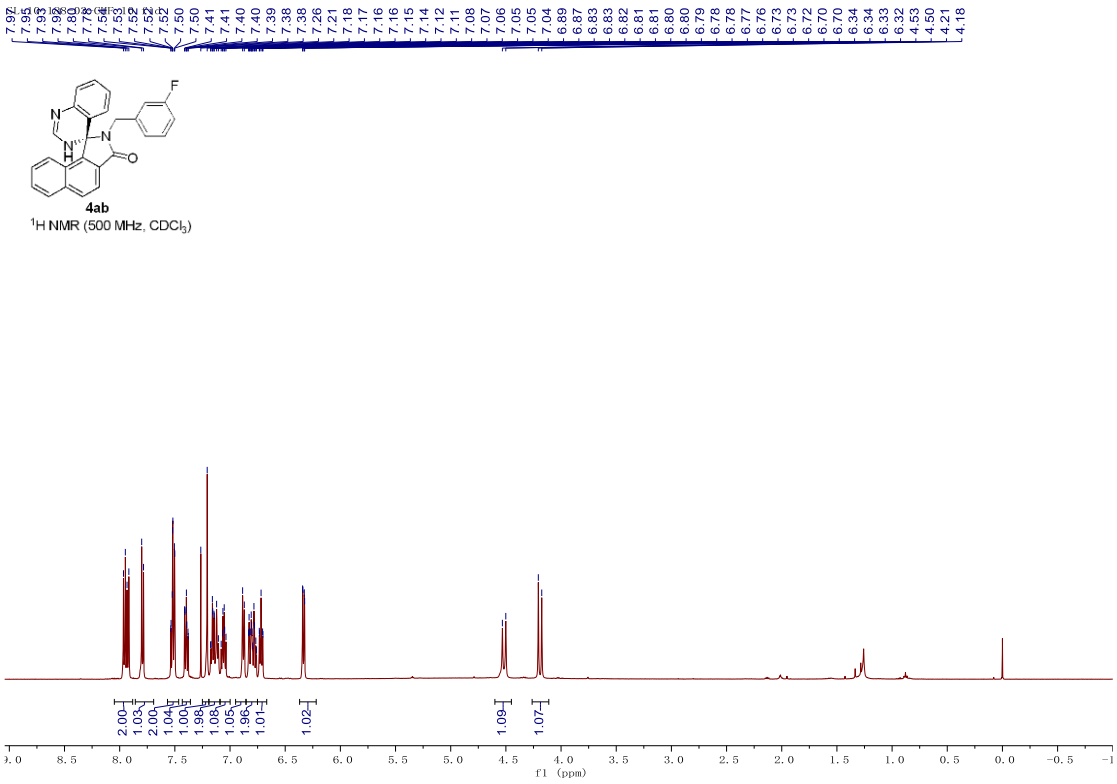

SL-09-178-2-HF.10.fid
9.42
8.17
8.16
8.06
8.06
8.04
7.71
7.70
7.69
7.68
7.68
7.68
7.67
7.67
7.66
7.65
7.65
7.64
7.63
7.63
7.62
7.62
7.60
7.59
7.46
7.45
7.43
7.26
2.40
S
N
N
Me
OTf
1r
1H NMR (500 MHz, CDCl3)
1.00
1.02
1.13
4.06
2.08
1.24
3.03
f1 (ppm)


SL-09-178-2-HF.11.fid
-73.92
S
N
N
Me
OTf
1r
19F NMR (471 MHz, CDCl3)
f1 (ppm)

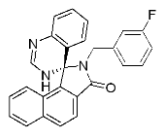

SL-09-178-2-HF.12.fid
166.52
156.63
152.22
143.90
137.56
134.97
133.15
132.52
130.93
129.29
129.13
128.08
126.48
126.23
125.01
123.16
119.74
77.41
77.16
76.91
15.49
S
N
N
Me
OTf
1r
13C NMR (126 MHz, CDCl3)
f1 (ppm)


7.91
7.90
7.88
7.86
7.68
7.66
7.56
7.48
7.49
7.27
7.26
7.25
7.24
7.20
7.18
7.16
7.14
7.06
7.06
7.04
6.85
6.47
6.45
3.57
3.56
3.55
3.54
3.53
3.52
3.51
3.39
3.37
3.37
3.36
3.35
3.34
3.34
3.33
3.01
2.99
2.99
2.98
2.97
2.97
2.96
2.95
2.52
2.51
2.50
2.49
2.48
2.48
2.47
N
N
H
N
O
4aa
1H NMR (500 MHz, CDCl3)
2.03
1.02
2.18
1.22
6.30
2.11
1.04
1.02
1.08
1.08
1.01
1.00
f1 (ppm)


SL-07-165.10.fid
166.85
146.24
145.40
139.44
136.26
131.36
130.15
129.37
129.07
128.59
128.38
127.82
127.80
126.96
126.48
125.93
125.90
123.74
120.54
118.76
77.41
77.16
76.91
75.77
42.22
34.74
4aa
13C NMR (126 MHz, CDCl3)
f1 (ppm)


4ab
1H NMR (500 MHz, CDCl3)
2.00
1.03
2.00
1.04
1.00
1.96
1.05
1.96
1.01
1.02
1.09
1.07
f1 (ppm)

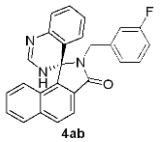
SL-10-188-03-CHF.11.fid



4ab

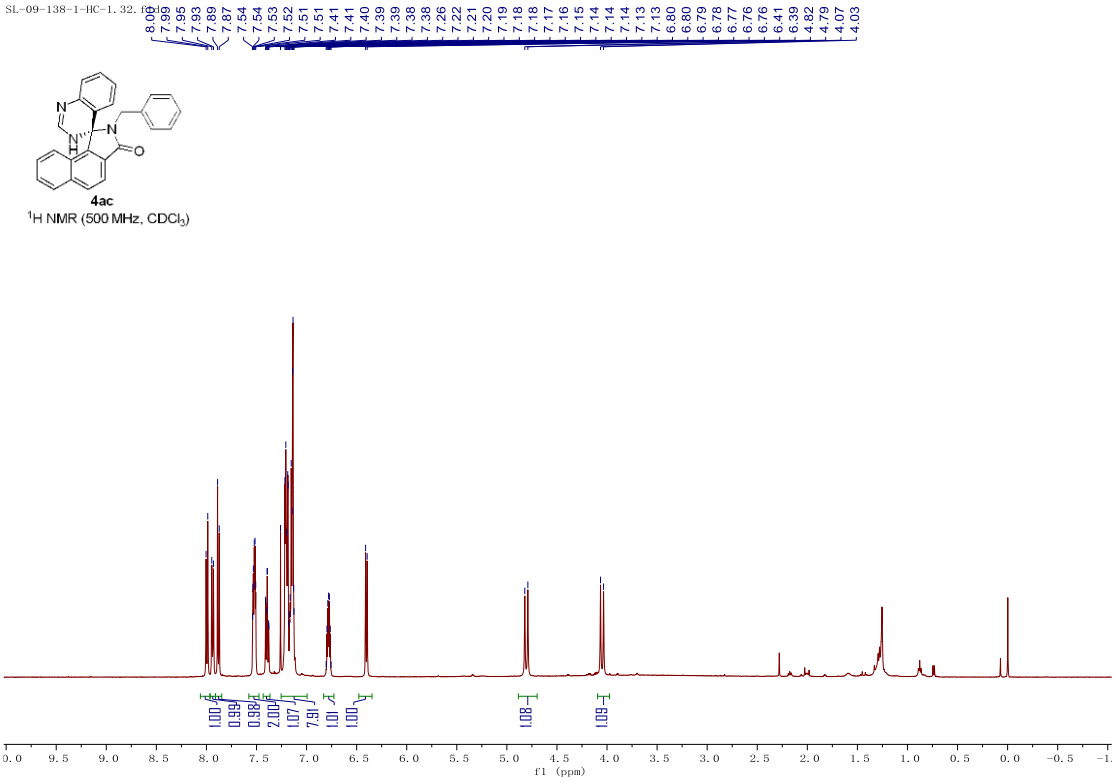
^{19}F NMR (471 MHz, $CDCl_3$)

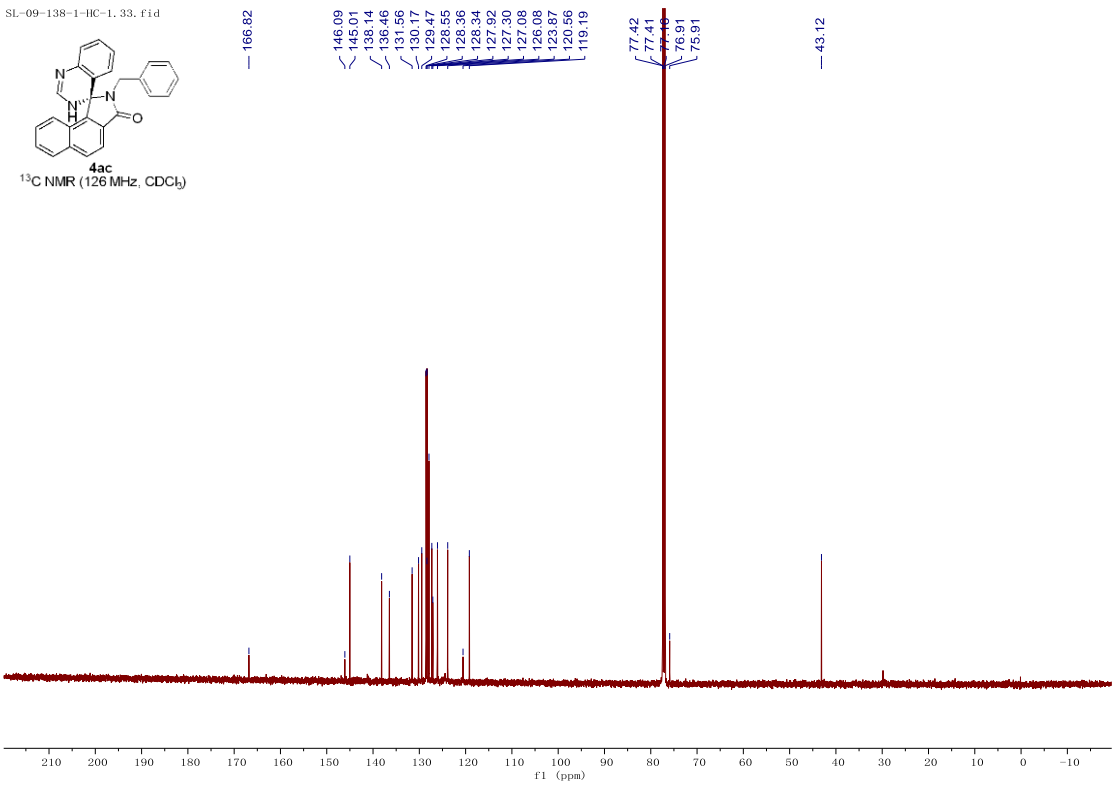
SL-10-188-03-CHF.12.fid

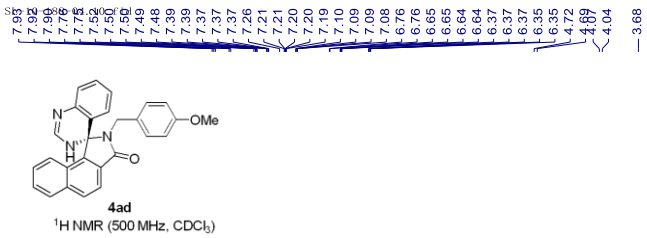


4ab

^{13}C NMR (126 MHz, $CDCl_3$)

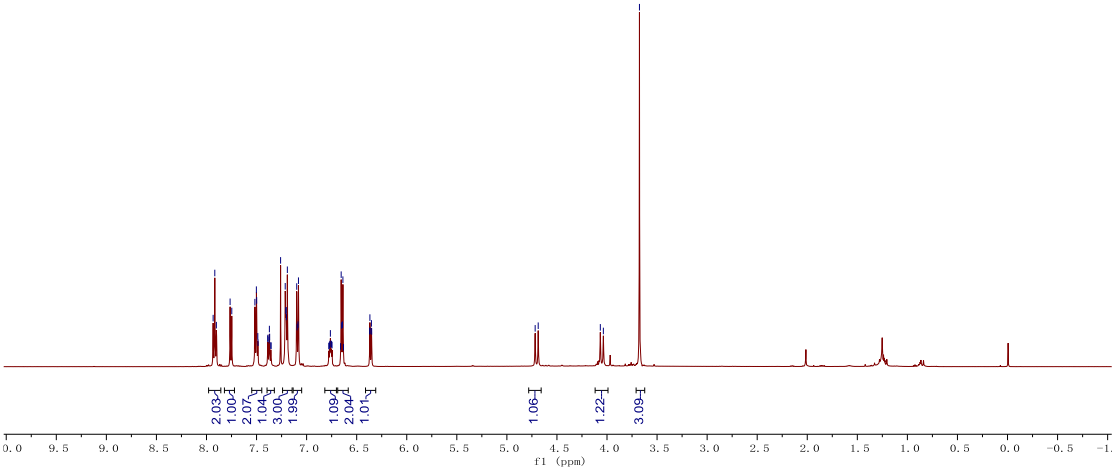

SL-09-138-1-HC-1.32.fid
8.00
7.99
7.95
7.93
7.89
7.87
7.54
7.54
7.53
7.52
7.51
7.51
7.41
7.41
7.40
7.39
7.39
7.38
7.38
7.26
7.22
7.21
7.20
7.19
7.18
7.18
7.17
7.16
7.15
7.14
7.14
7.14
7.13
7.13
6.80
6.80
6.79
6.78
6.77
6.76
6.76
6.41
6.39
4.82
4.79
4.07
4.03
4ac
1H NMR (500 MHz, CDCl3)
1.00
0.98
0.98
2.00
1.07
7.91
1.01
1.00
1.08
1.09
f1 (ppm)

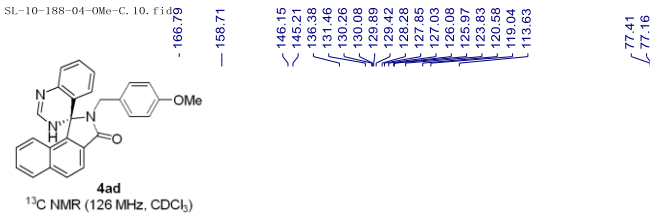

SL-09-138-1-HC-1.33.fid
166.82
146.09
145.01
138.14
136.46
131.56
130.17
129.47
128.55
128.36
128.34
127.92
127.30
127.08
126.08
123.87
120.56
119.19
77.42
77.41
77.16
76.91
75.91
43.12
4ac
13C NMR (126 MHz, CDCl3)
f1 (ppm)



4ad

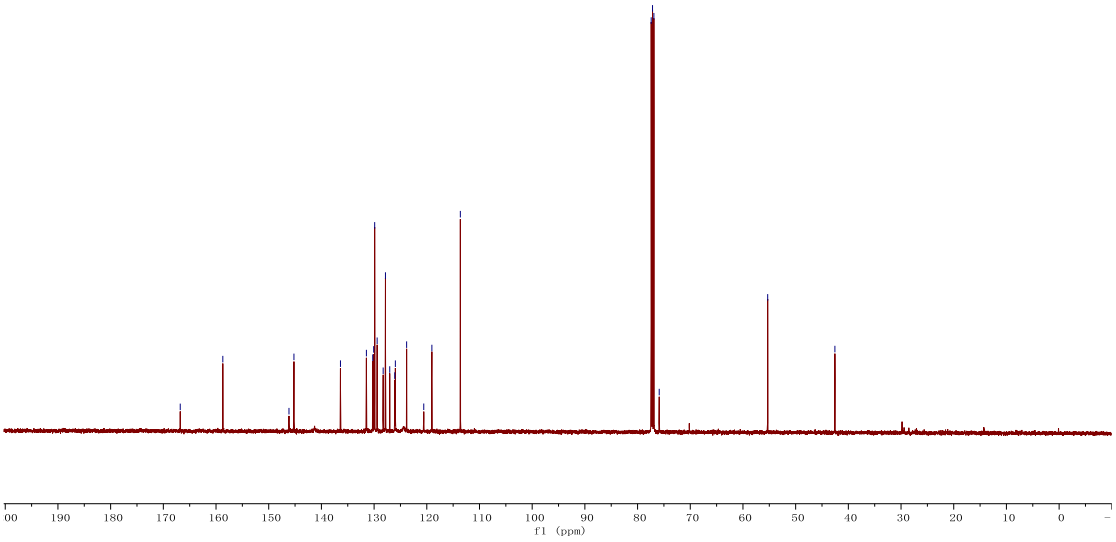
^{1}H NMR (500 MHz, $CDCl_3$)

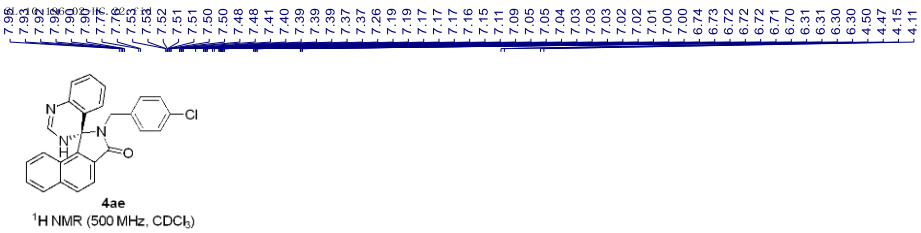




4ad

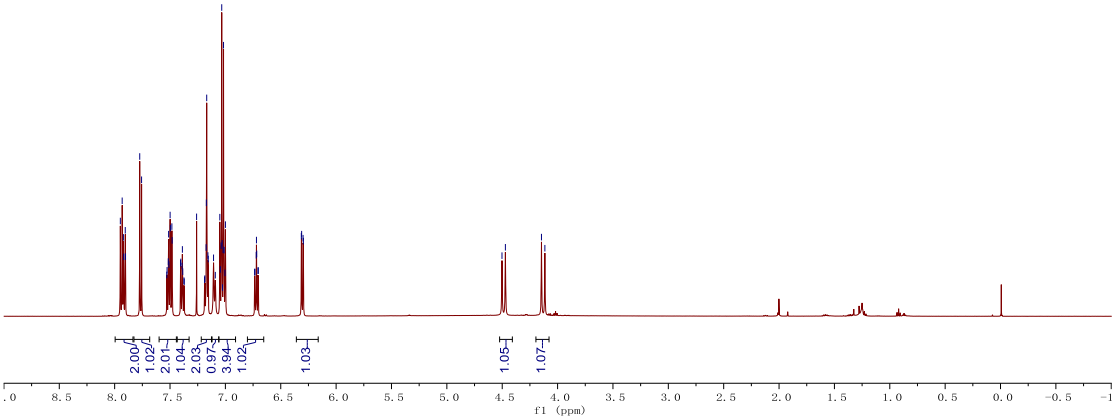
^{13}C NMR (126 MHz, $CDCl_3$)

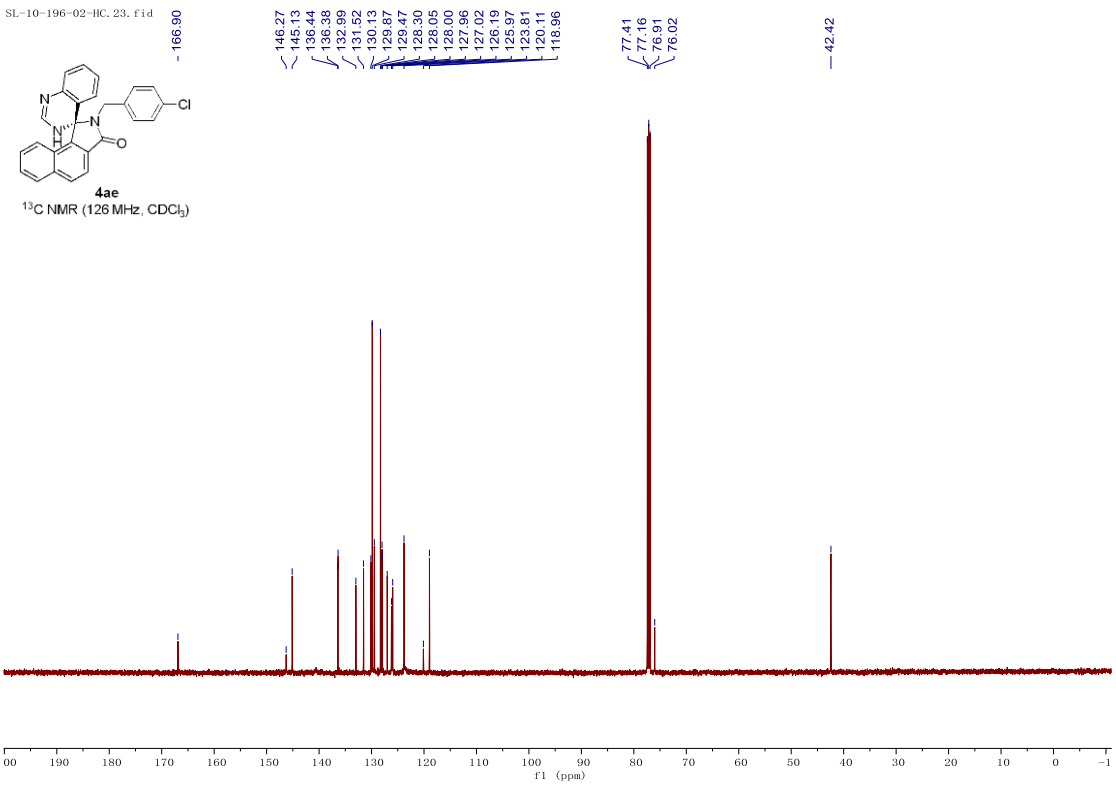




4ae

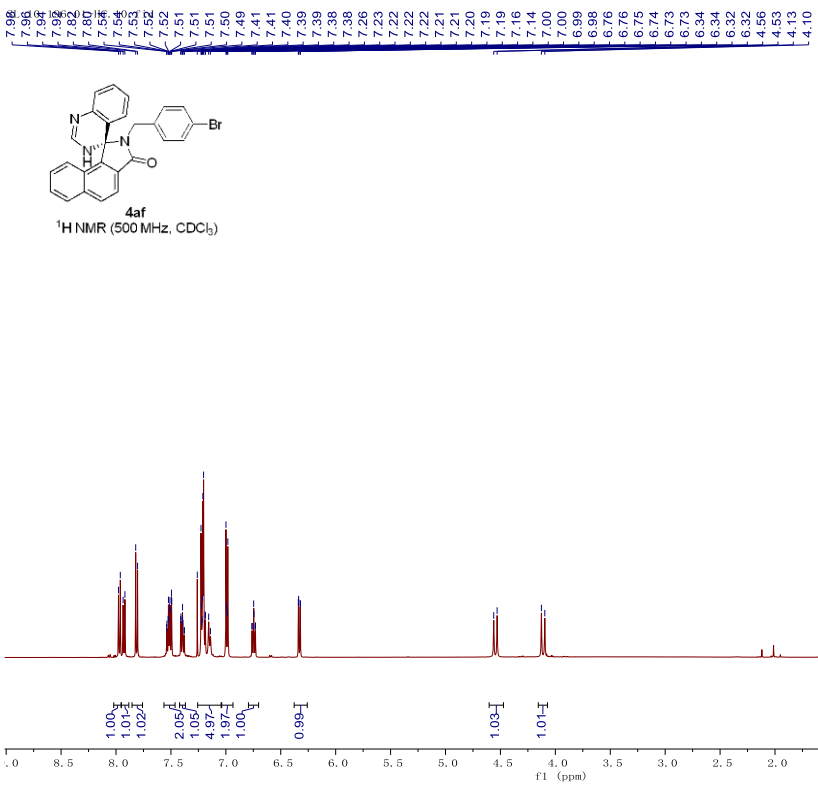
^{1}H NMR (500 MHz, $CDCl_3$)

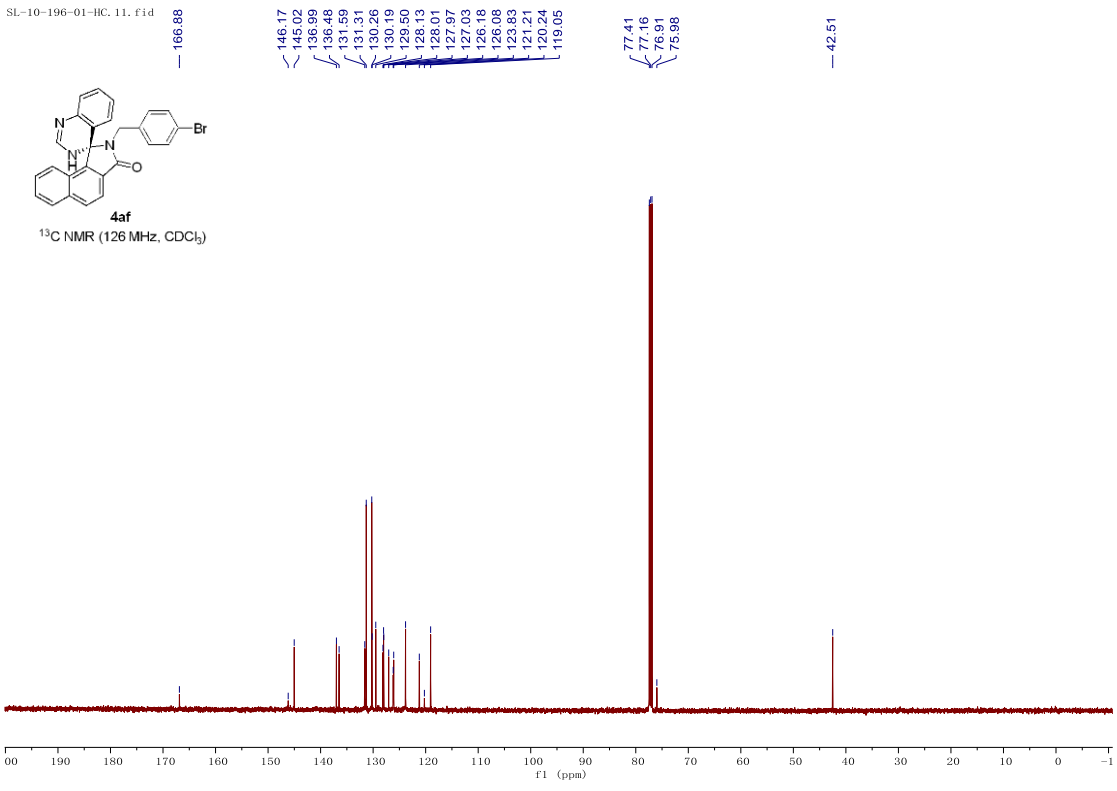


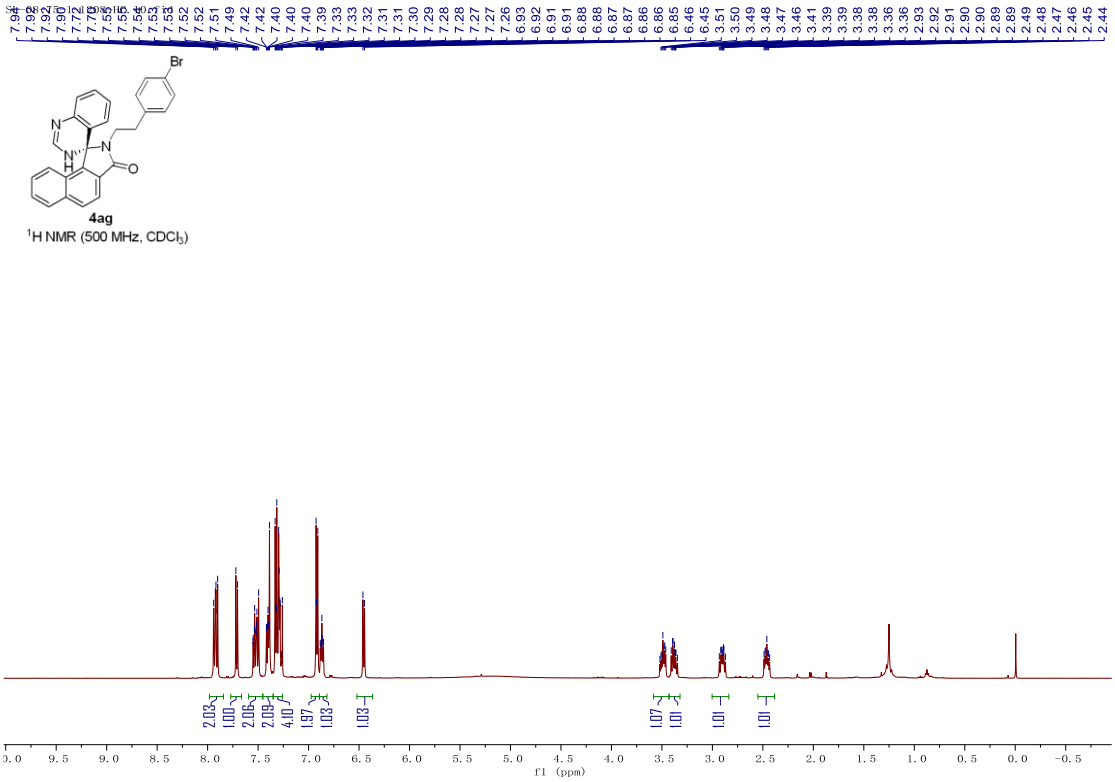


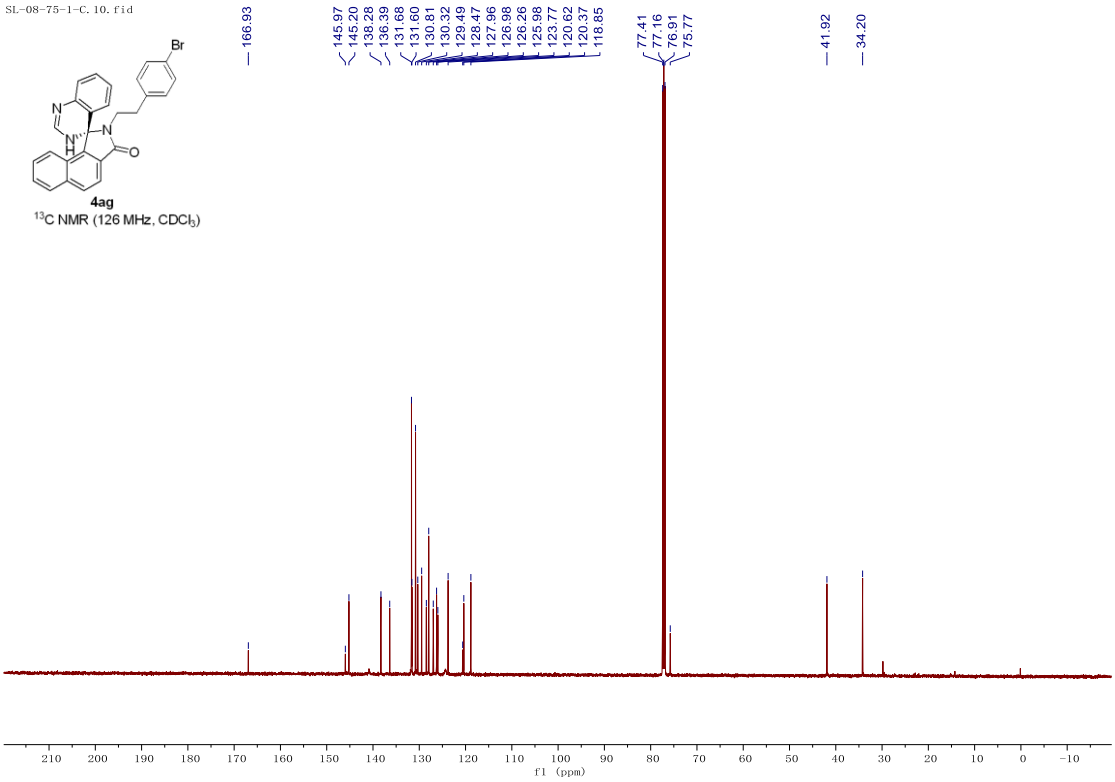
4ae

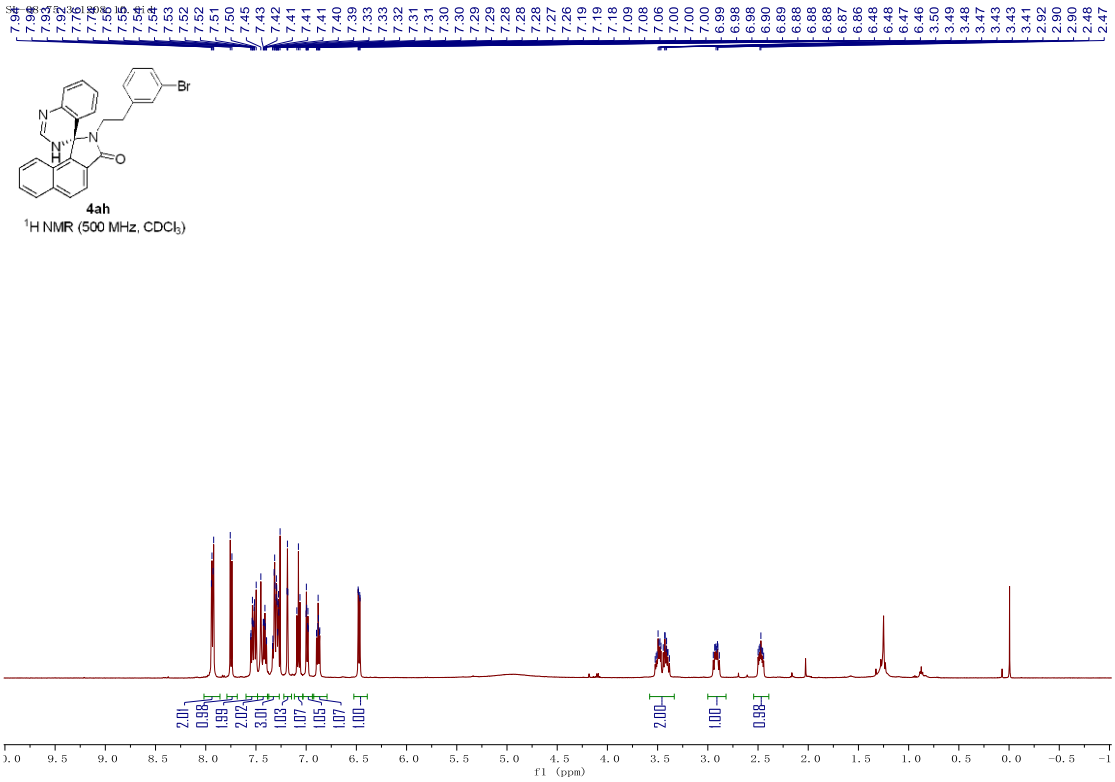
^{13}C NMR (126 MHz, $CDCl_3$)

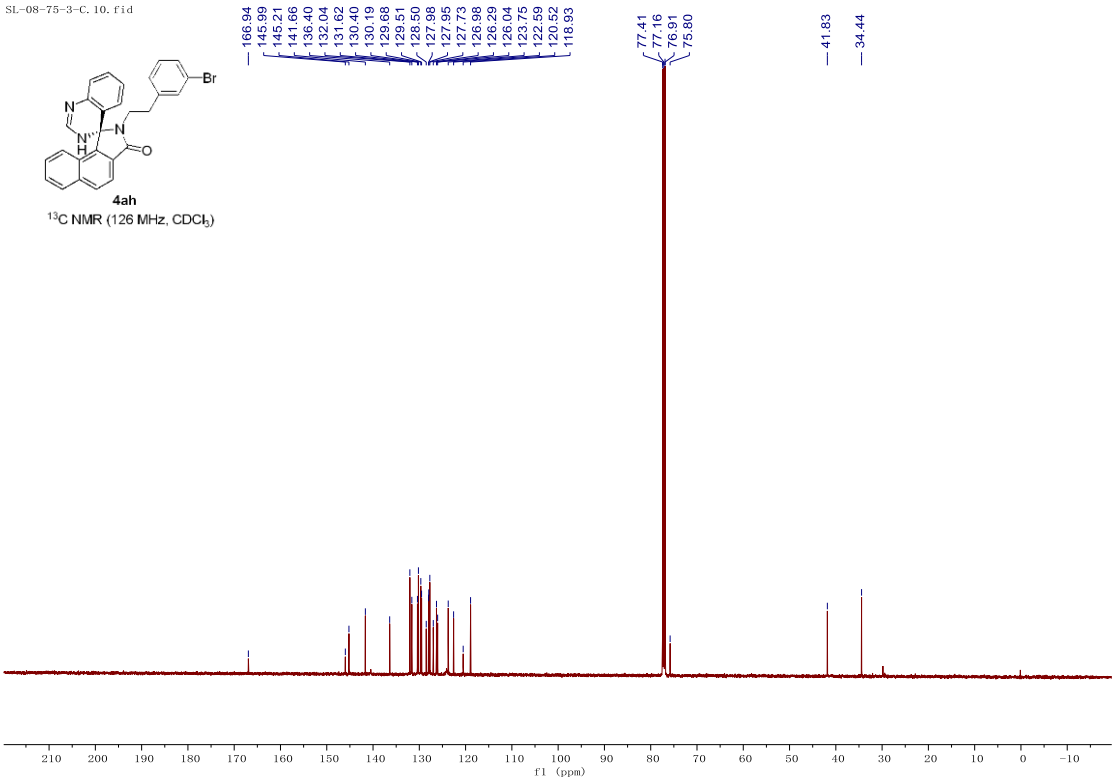

7.98
7.96
7.94
7.95
7.82
7.80
7.54
7.53
7.52
7.51
7.51
7.51
7.50
7.49
7.41
7.40
7.39
7.39
7.38
7.38
7.26
7.23
7.22
7.22
7.22
7.21
7.21
7.20
7.19
7.19
7.16
7.14
7.00
7.00
6.99
6.98
6.76
6.76
6.76
6.75
6.74
6.73
6.73
6.34
6.34
6.32
4.56
4.53
4.13
4.10
Br
O
4af
1H NMR (500 MHz, CDCl3)
1.00
1.01
1.02
2.05
1.05
4.97
1.97
1.00
0.99
1.03
1.01
f1 (ppm)

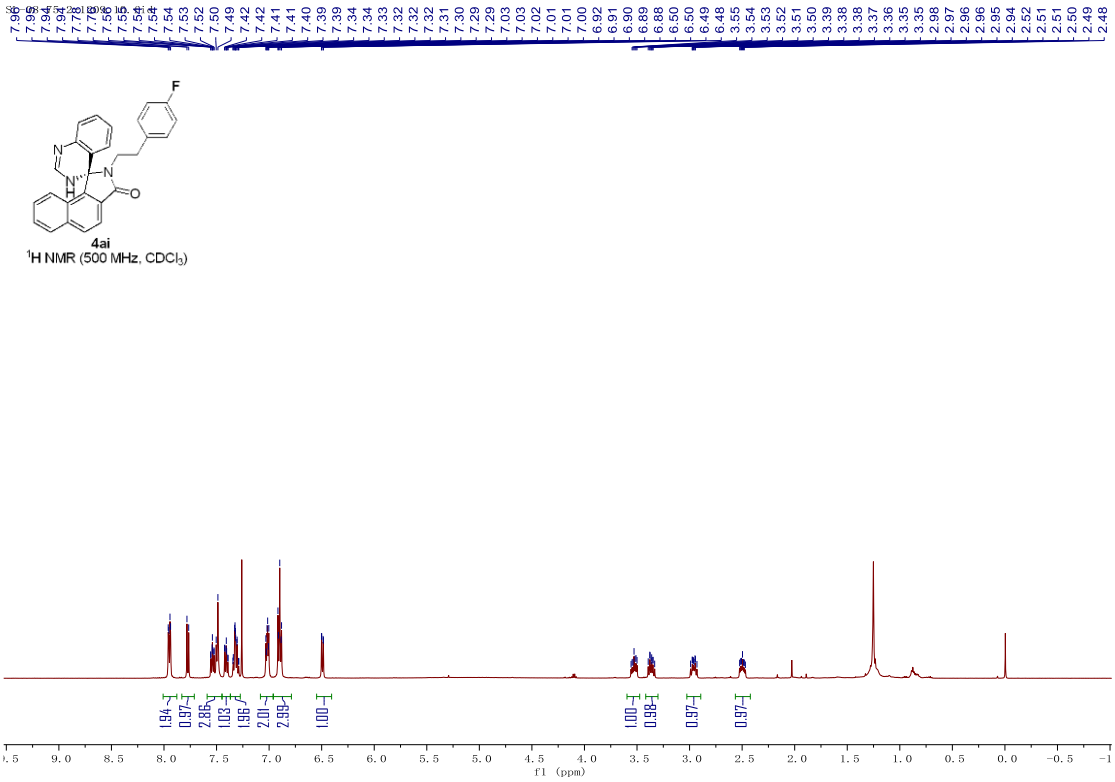

SL-10-196-01-HC.11.fid
166.88
146.17
145.02
136.99
136.48
131.59
131.31
130.26
130.19
129.50
128.13
128.01
127.97
127.03
126.18
126.08
123.83
121.21
120.24
119.05
77.41
77.16
76.91
75.98
42.51
Br
O
4af
13C NMR (126 MHz, CDCl3)
f1 (ppm)

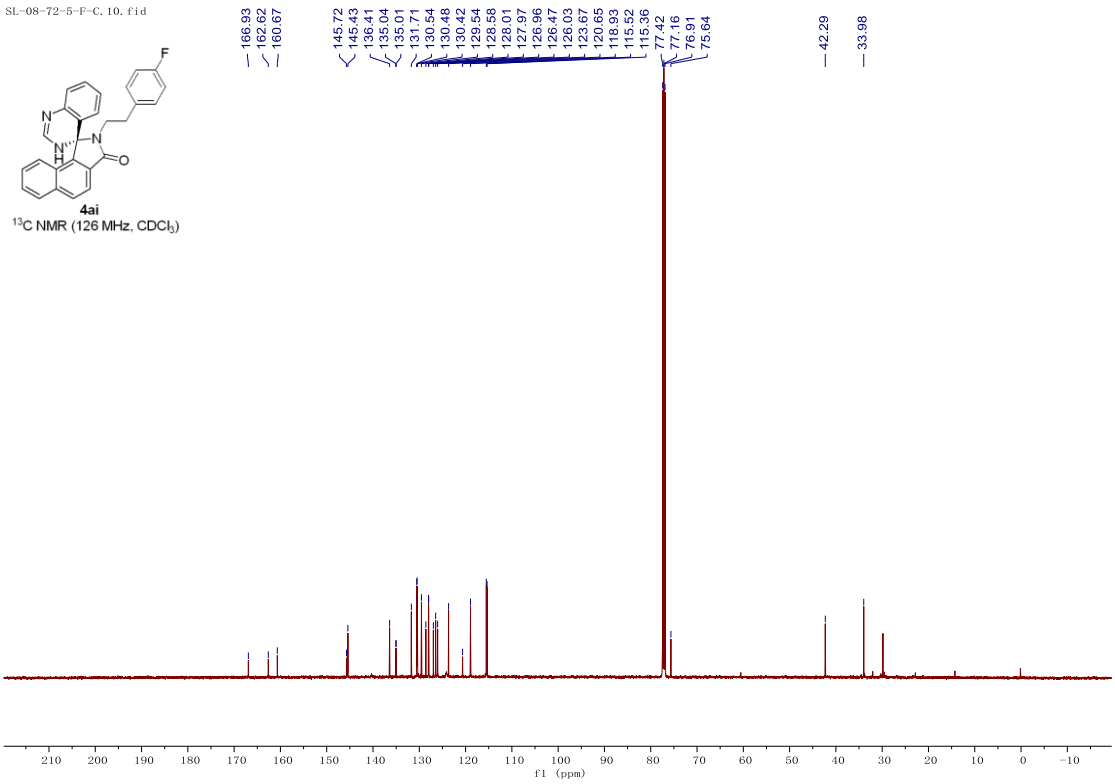

Br
N
N
H
O
4ag
1H NMR (500 MHz, CDCl3)
f1 (ppm)


SL-08-75-1-C.10.fid
Br
N
N
H
O
4ag
13C NMR (126 MHz, CDCl3)
166.93
145.97
145.20
138.28
136.39
131.68
131.60
130.81
130.32
129.49
128.47
127.96
126.98
126.26
125.98
123.77
120.62
120.37
118.85
77.41
77.16
76.91
75.77
41.92
34.20
f1 (ppm)

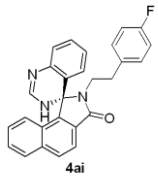

Br
N
N
H
O
4ah
1H NMR (500 MHz, CDCl3)
2.01
0.98
1.99
2.02
3.01
1.03
1.07
1.05
1.07
1.00
2.00
1.00
0.98
f1 (ppm)


SL-08-75-3-C.10.fid
Br
N
N
H
O
4ah
13C NMR (126 MHz, CDCl3)
166.94
145.99
145.21
141.66
136.40
132.04
131.62
130.40
130.19
129.68
129.51
128.50
127.98
127.95
127.73
126.98
126.29
126.04
123.75
122.59
120.52
118.93
77.41
77.16
76.91
75.80
41.83
34.44
f1 (ppm)


4ai
^{1}H NMR (500 MHz, CDCl$_3$)
f1 (ppm)

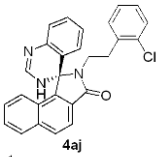

SL-08-72-5-F-C.10.fid
4ai
^{13}C NMR (126 MHz, CDCl$_3$)
f1 (ppm)

SL-08-75-2-F-2.10.fid



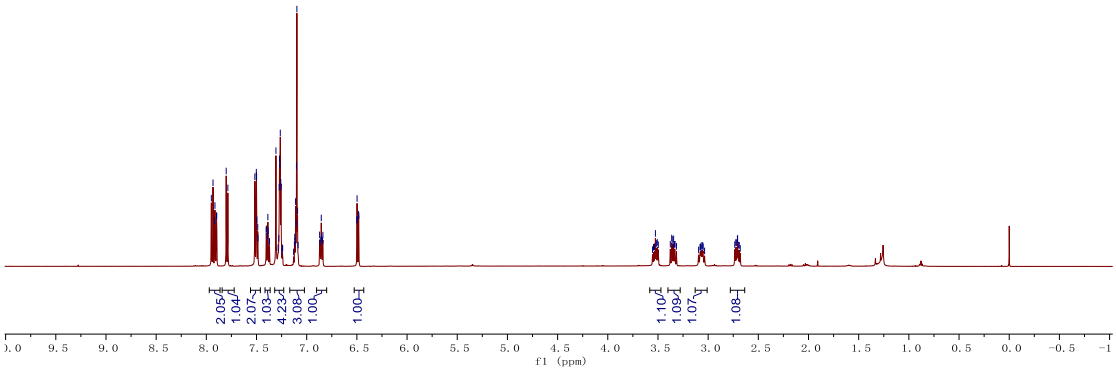
4ai

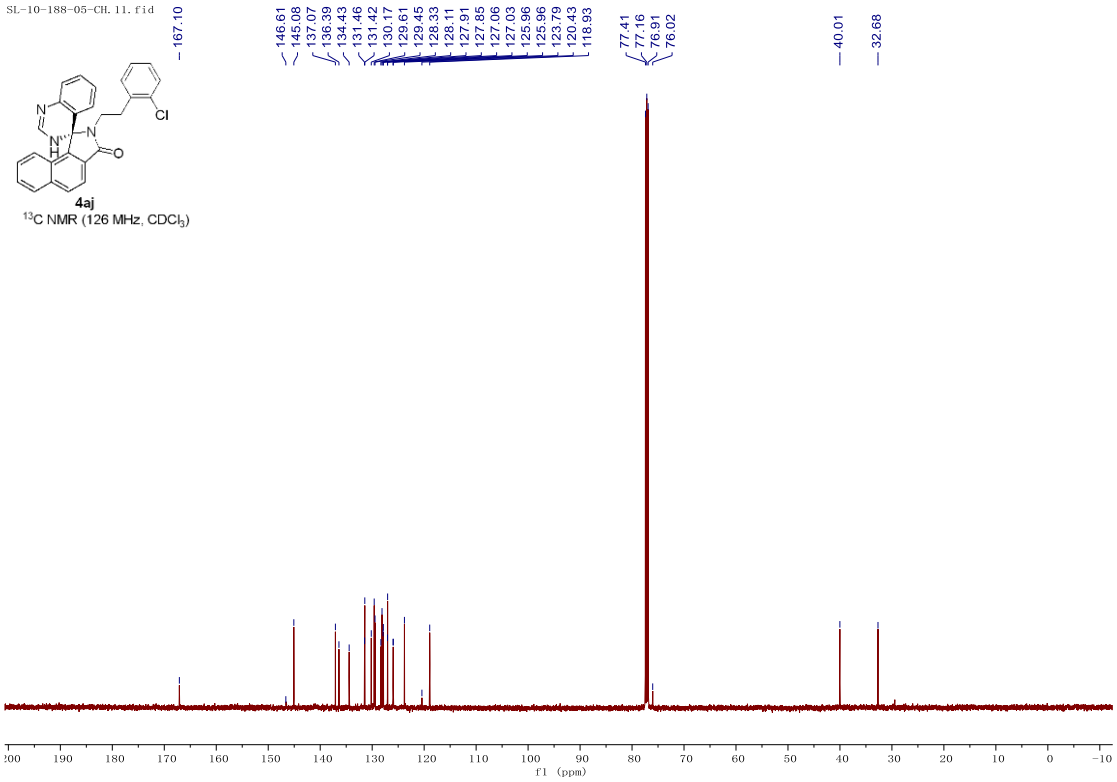
^{19}F NMR (471 MHz, $CDCl_3$)



4aj

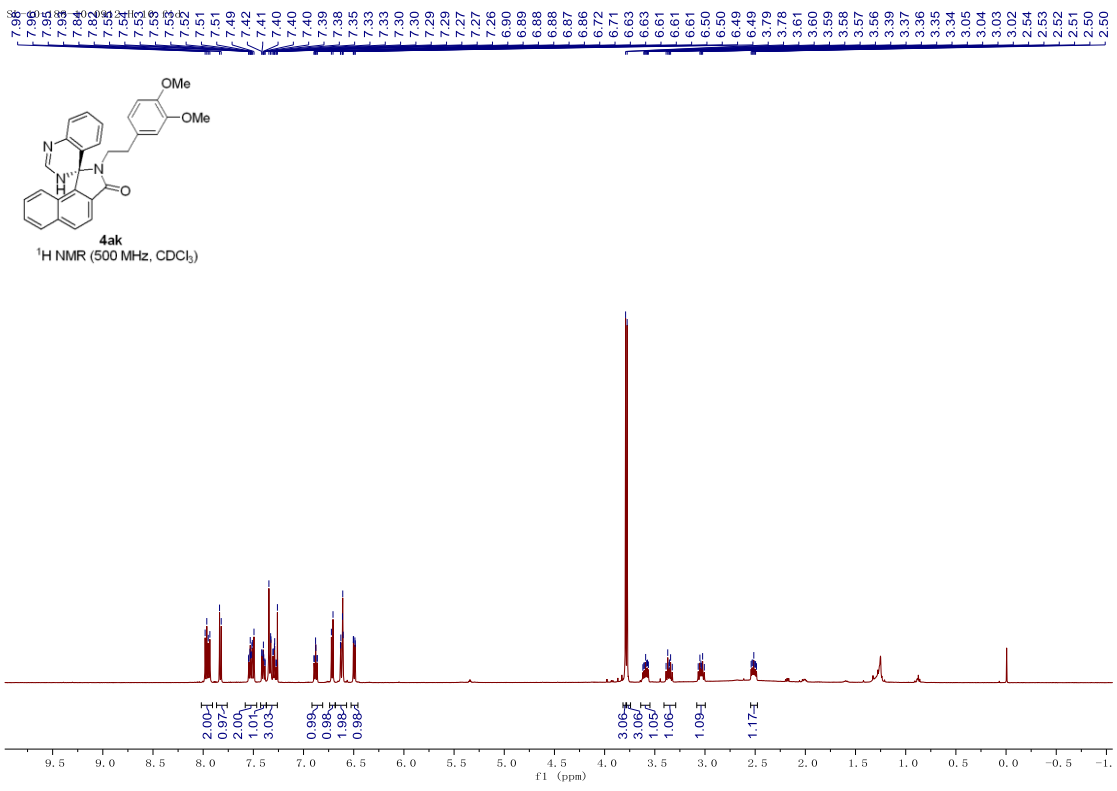
^{1}H NMR (500 MHz, $CDCl_3$)





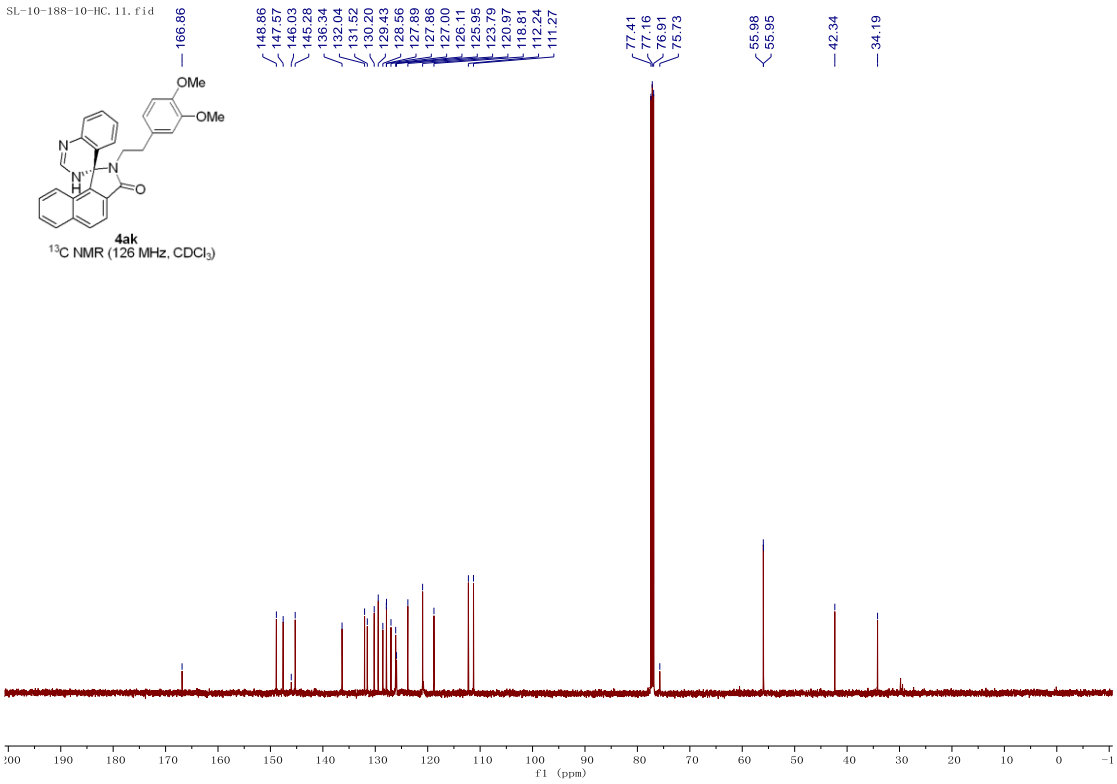
4aj

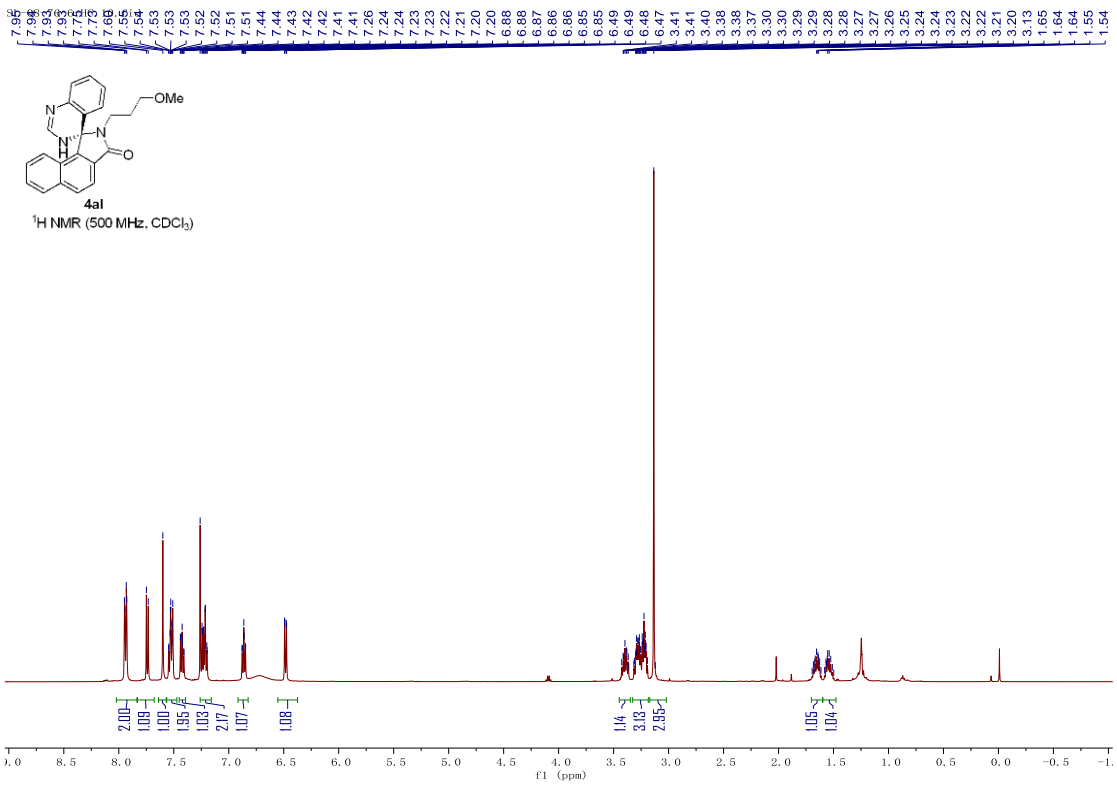
^{13}C NMR (126 MHz, $CDCl_3$)

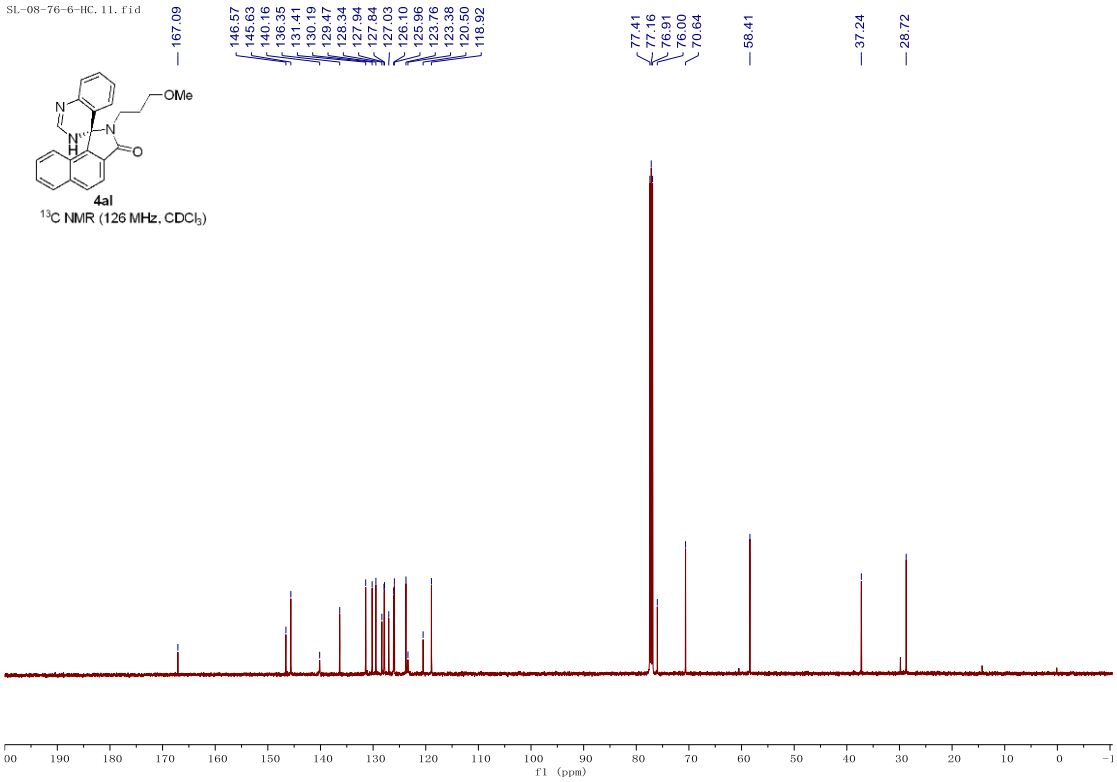


4ak

^{1}H NMR (500 MHz, $CDCl_3$)

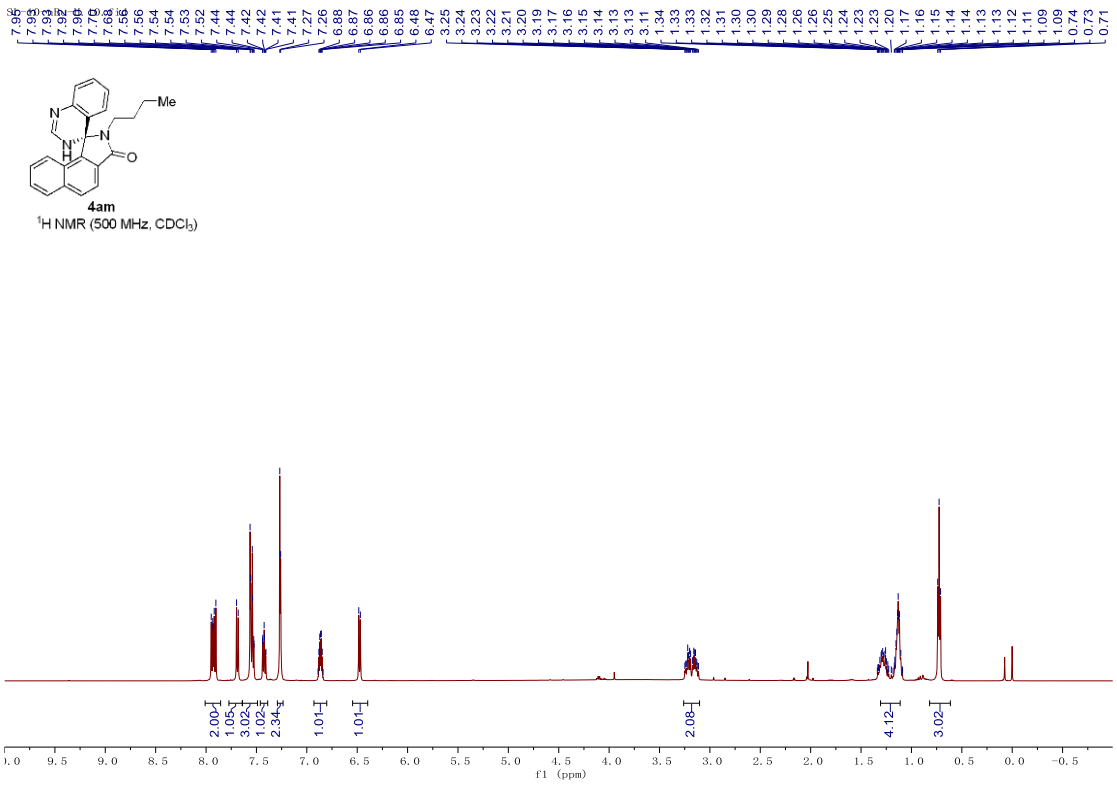

SL-10-188-10-HC. 11. fid
166.86
148.86
147.57
146.03
145.28
136.34
132.04
131.52
130.20
129.43
128.56
127.89
127.86
127.00
126.11
125.95
123.79
120.97
118.81
112.24
111.27
77.41
77.16
76.91
75.73
55.98
55.95
42.34
34.19
OMe
OMe
N
N
H
O
4ak
13C NMR (126 MHz, CDCl3)
f1 (ppm)


OMe
N
N
H
O
4al
1H NMR (500 MHz, CDCl3)
2.00
1.09
1.00
1.95
1.03
2.17
1.07
1.08
1.14
3.13
2.95
1.05
1.04
f1 (ppm)



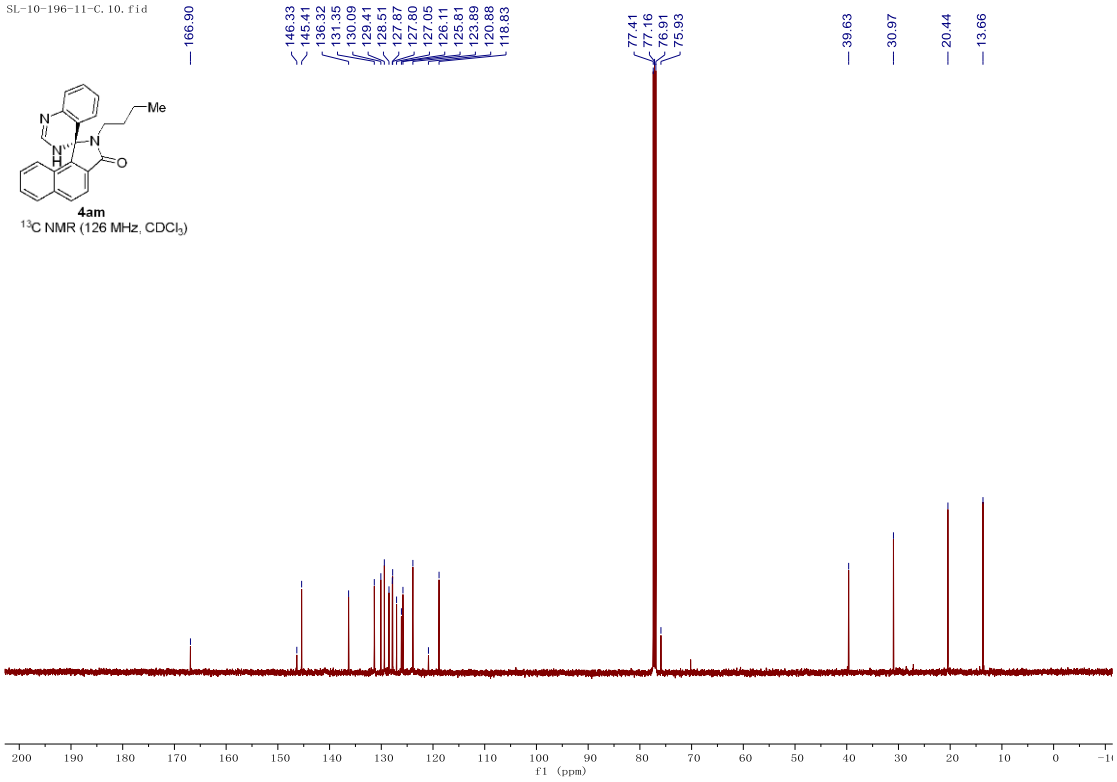
4al

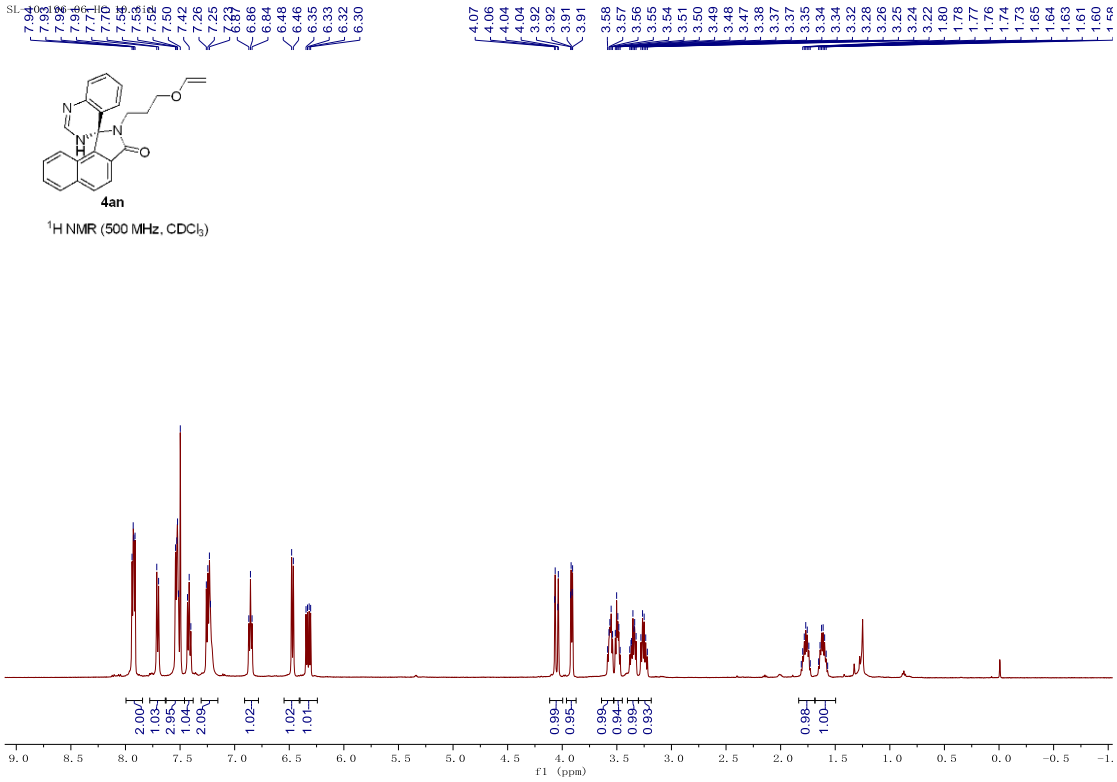
^{13}C NMR (126 MHz, $CDCl_3$)

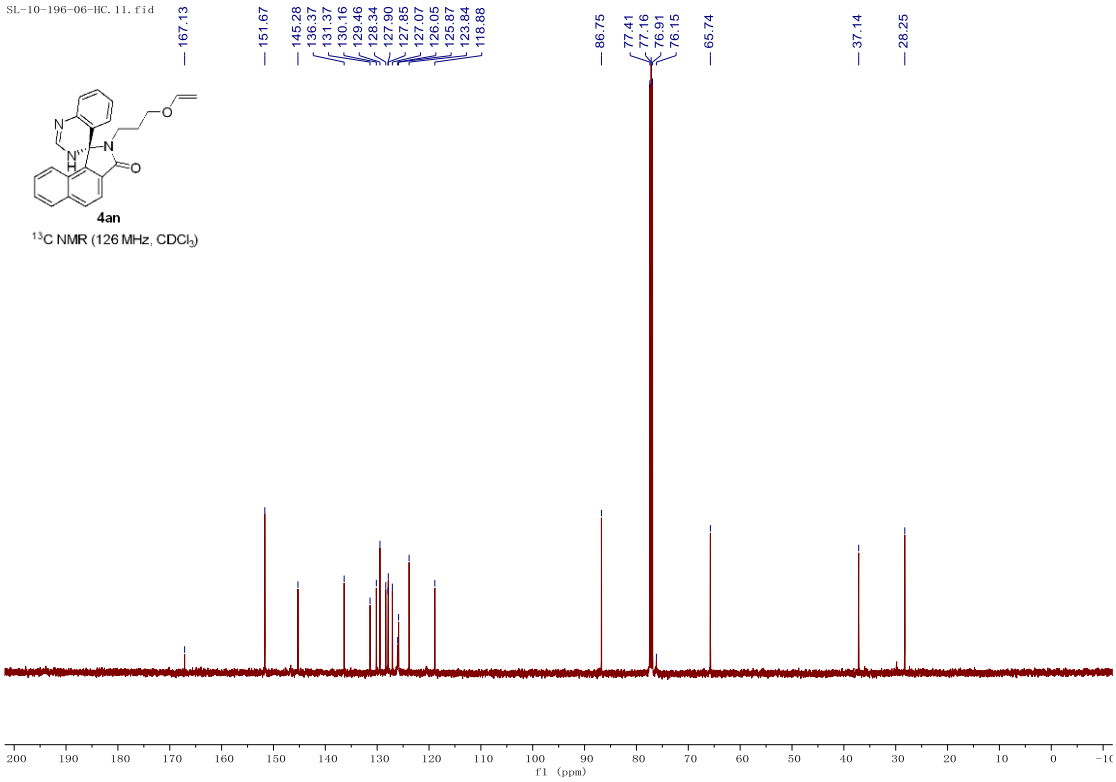


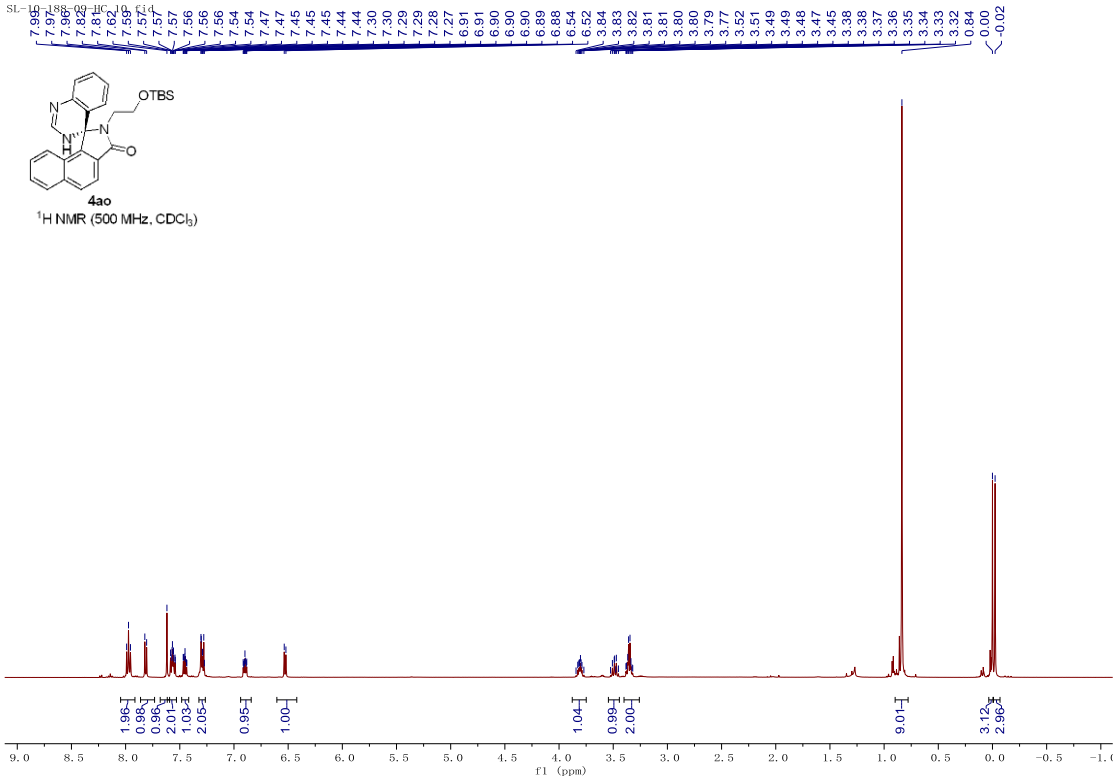
4am

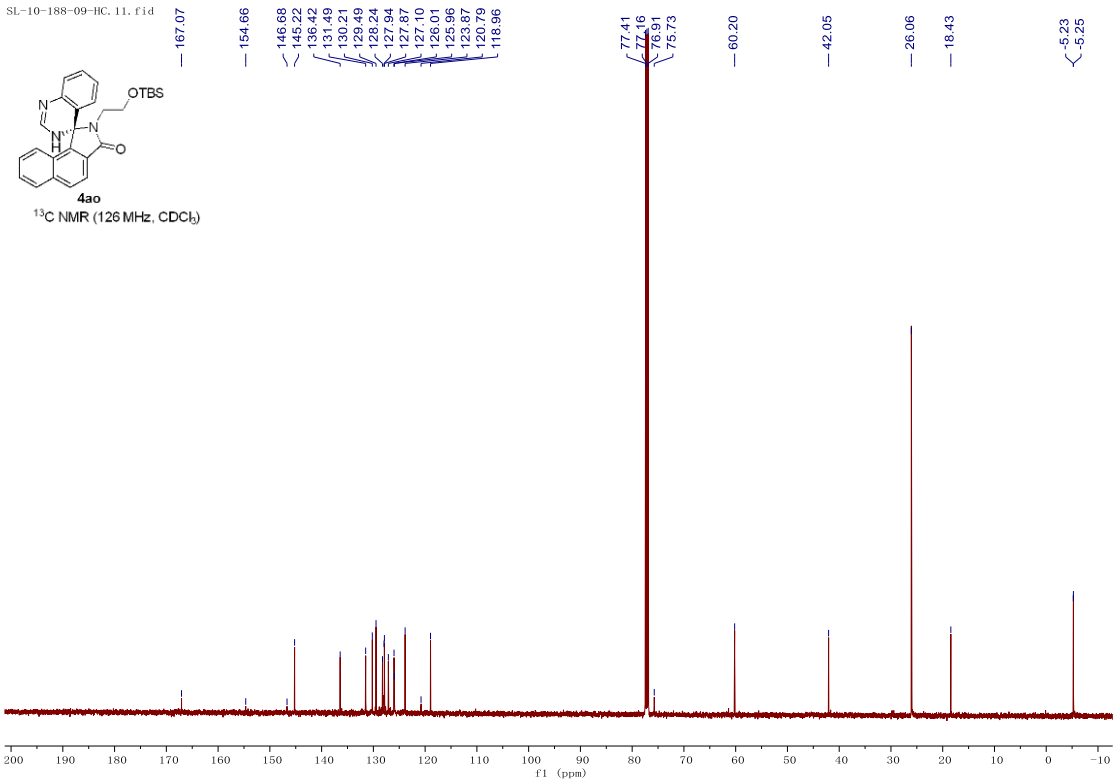
^{1}H NMR (500 MHz, $CDCl_3$)

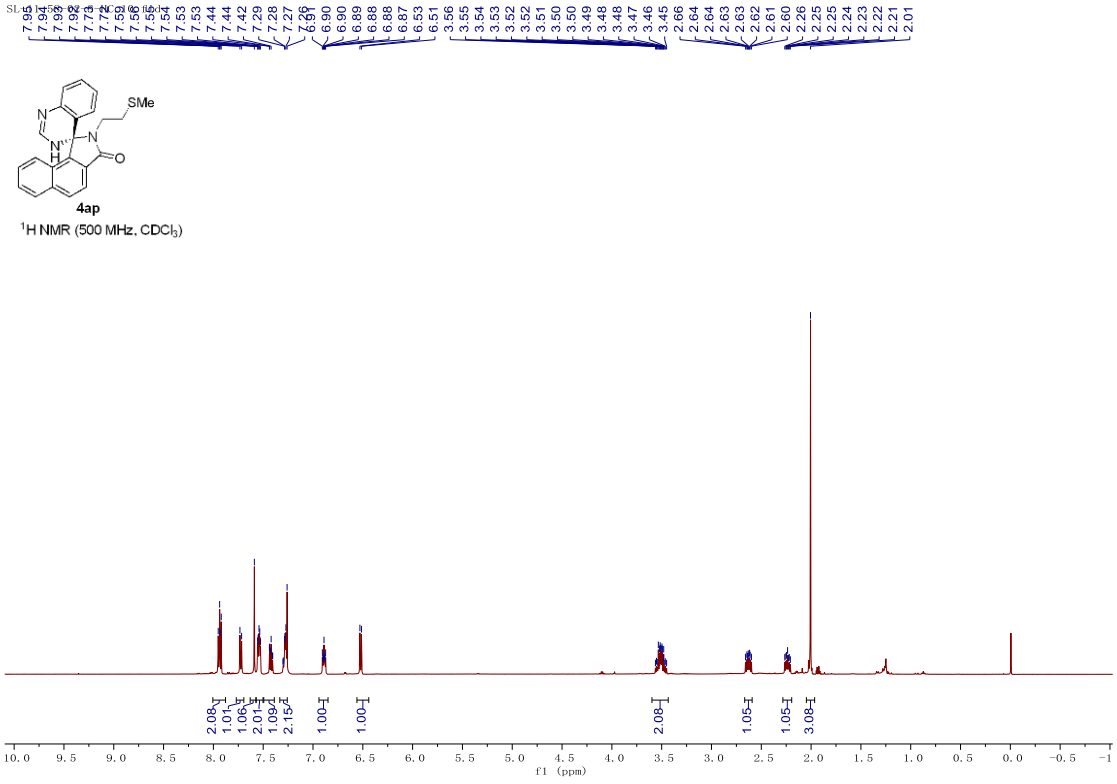

SL-10-196-11-C.10.fid
166.90
146.33
145.41
136.32
131.35
130.09
129.41
128.51
127.87
127.80
127.05
126.11
125.81
123.89
120.88
118.83
77.41
77.16
76.91
75.93
39.63
30.97
20.44
13.66
Me
N
N
H
O
4am
13C NMR (126 MHz, CDCl3)
f1 (ppm)

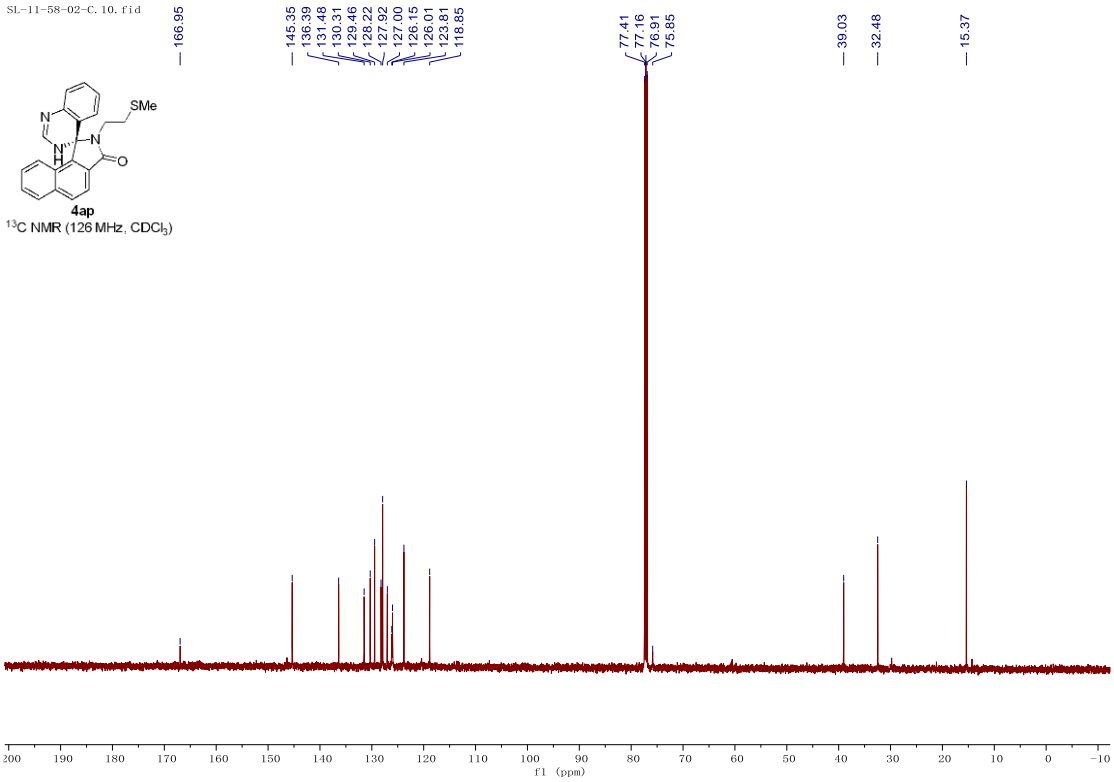

7.94
7.92
7.90
7.91
7.71
7.70
7.55
7.53
7.52
7.50
7.42
7.26
7.25
6.87
6.86
6.84
6.48
6.46
6.35
6.33
6.32
6.30
4.07
4.06
4.04
4.04
3.92
3.92
3.91
3.91
3.58
3.57
3.56
3.55
3.54
3.51
3.50
3.49
3.48
3.47
3.38
3.37
3.37
3.35
3.34
3.34
3.32
3.28
3.26
3.25
3.24
3.22
1.80
1.78
1.77
1.76
1.74
1.73
1.65
1.64
1.63
1.61
1.60
O
N
N
H
O
4an
1H NMR (500 MHz, CDCl3)
2.00
1.03
2.95
1.04
2.09
1.02
1.02
1.01
0.99
0.95
0.99
0.94
0.99
0.93
0.98
1.00
f1 (ppm)

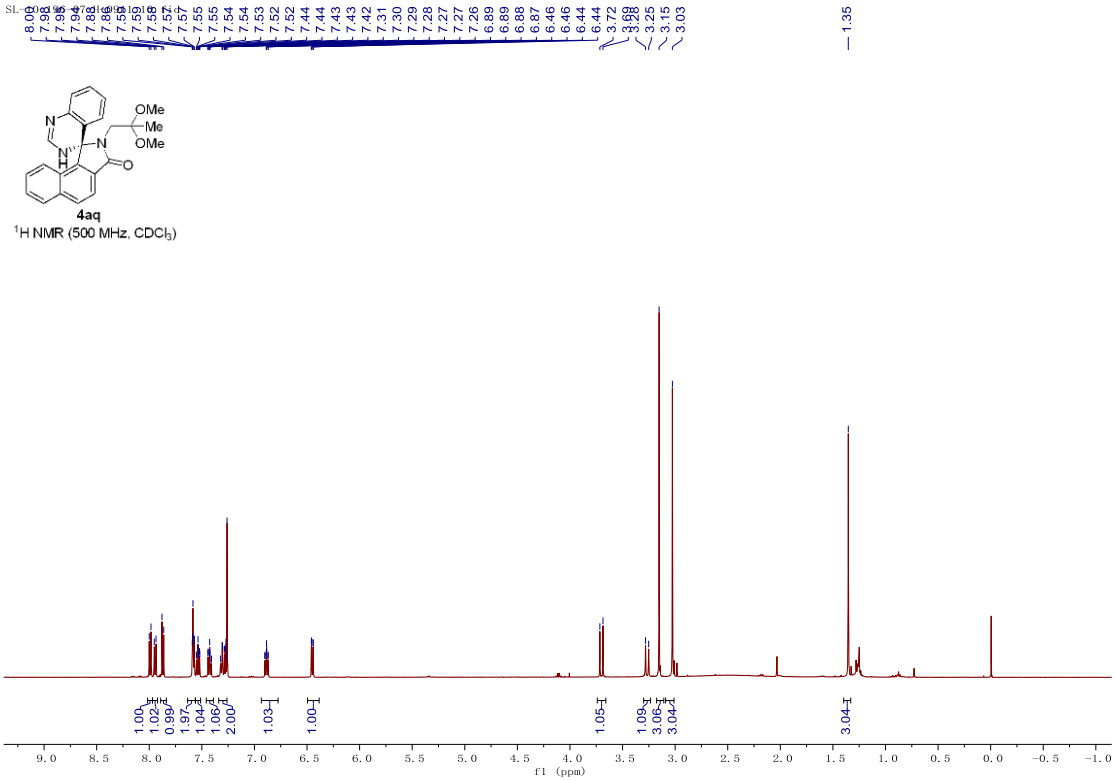

SL-10-196-06-HC. 11. fid
167.13
151.67
145.28
136.37
131.37
130.16
129.46
128.34
127.90
127.85
127.07
126.05
125.87
123.84
118.88
86.75
77.41
77.16
76.91
76.15
65.74
37.14
28.25
4an
13C NMR (126 MHz, CDCl3)
f1 (ppm)

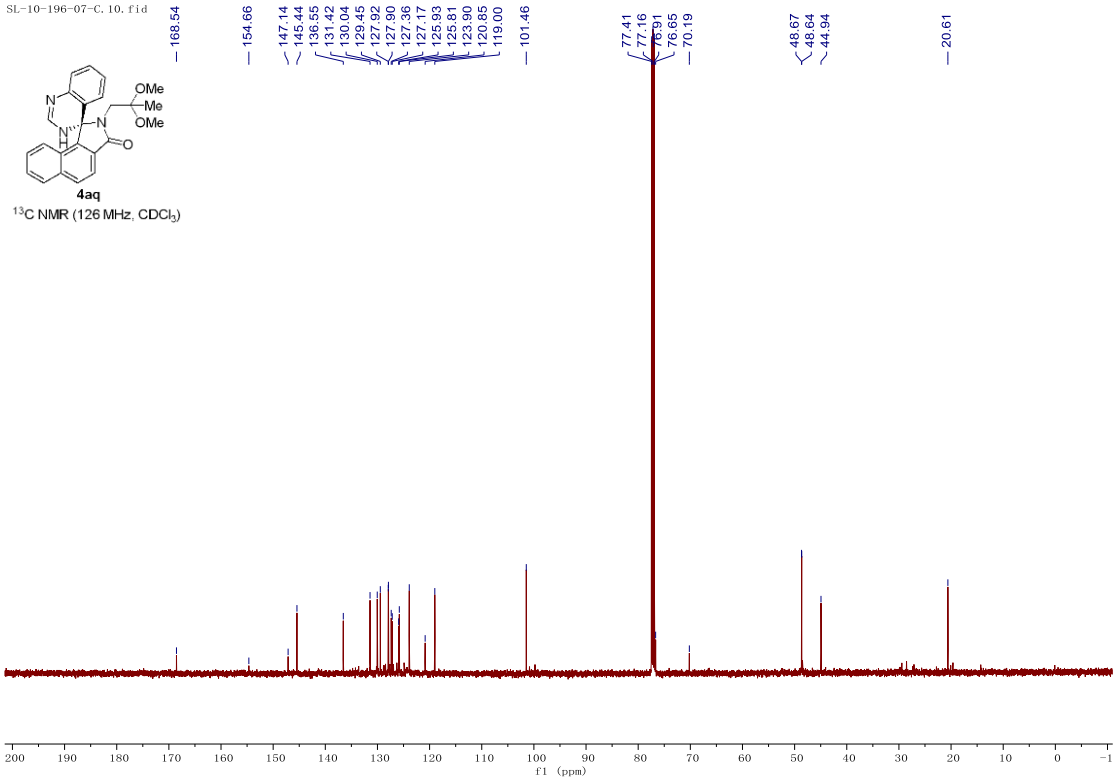

OTBS
4ao
1H NMR (500 MHz, CDCl3)
1.96
0.98
0.96
2.01
1.03
2.05
0.95
1.00
1.04
0.99
2.00
9.01
3.12
2.96
f1 (ppm)

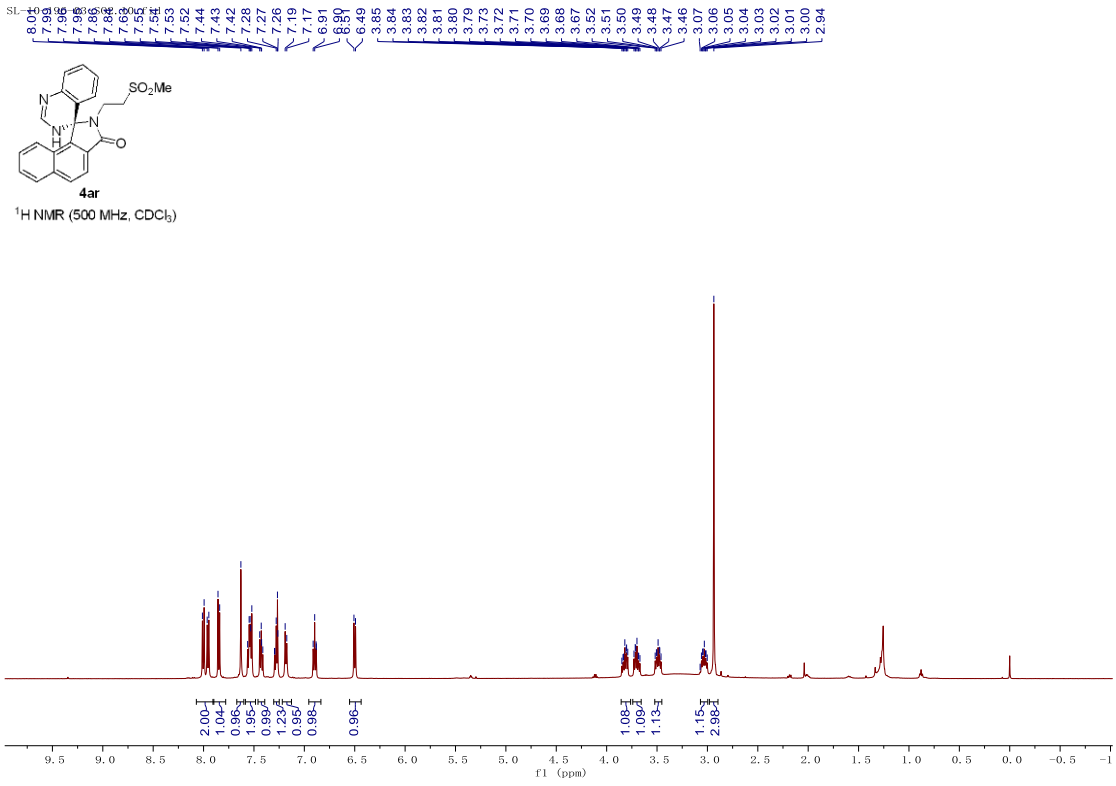

SL-10-188-09-HC. 11. fid
OTBS
4ao
13C NMR (126 MHz, CDCl3)
f1 (ppm)

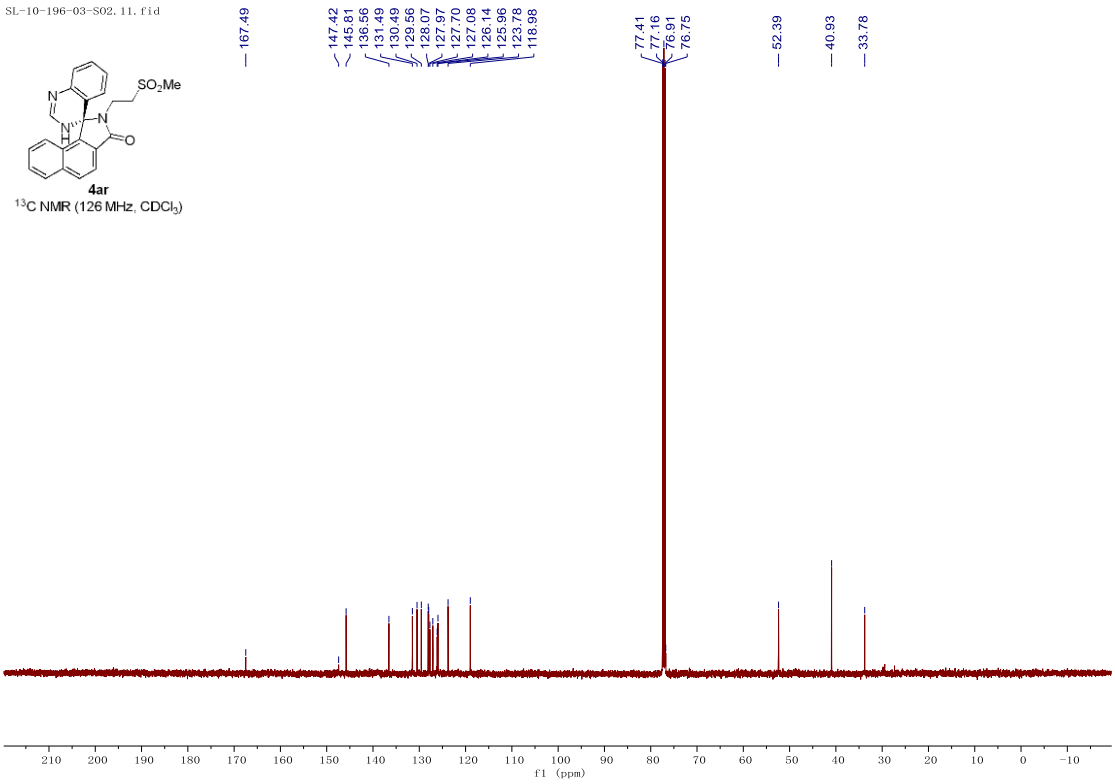

SMe
4ap
1H NMR (500 MHz, CDCl3)
f1 (ppm)

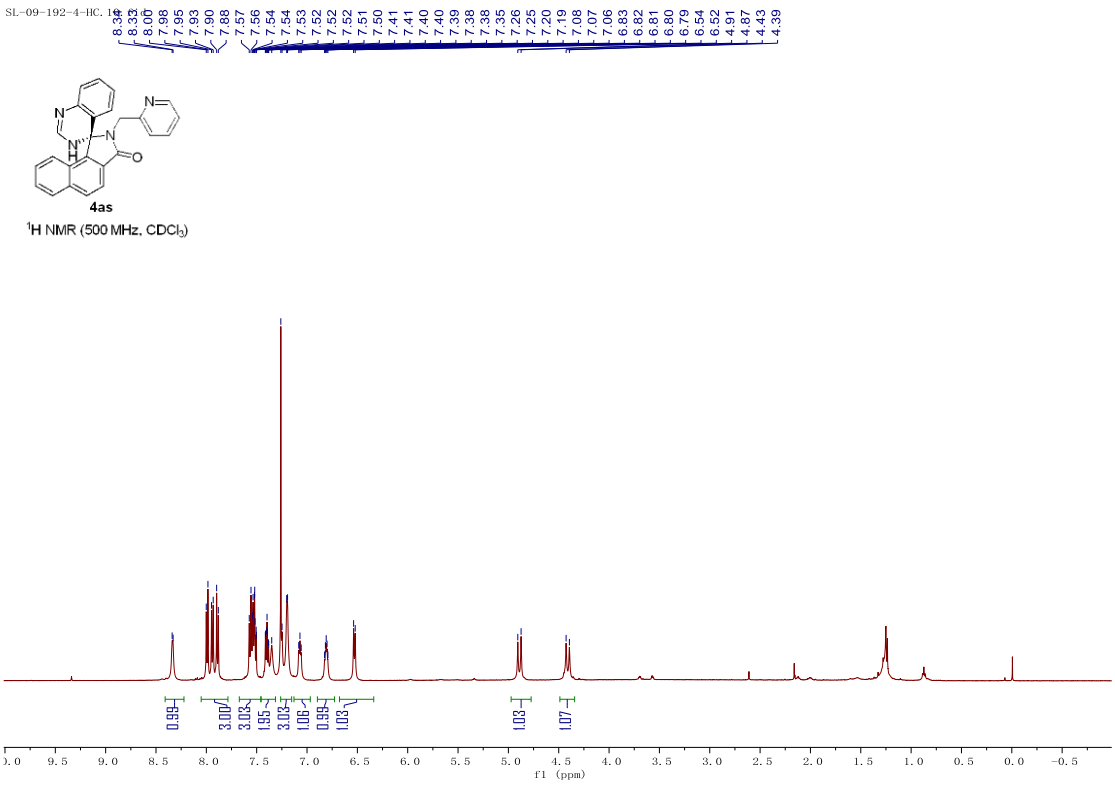

SL-11-58-02-C.10.fid
166.95
145.35
136.39
131.48
130.31
129.46
128.22
127.92
127.00
126.15
126.01
123.81
118.85
77.41
77.16
76.91
75.85
39.03
32.48
15.37
SMe
4ap
13C NMR (126 MHz, CDCl3)
f1 (ppm)

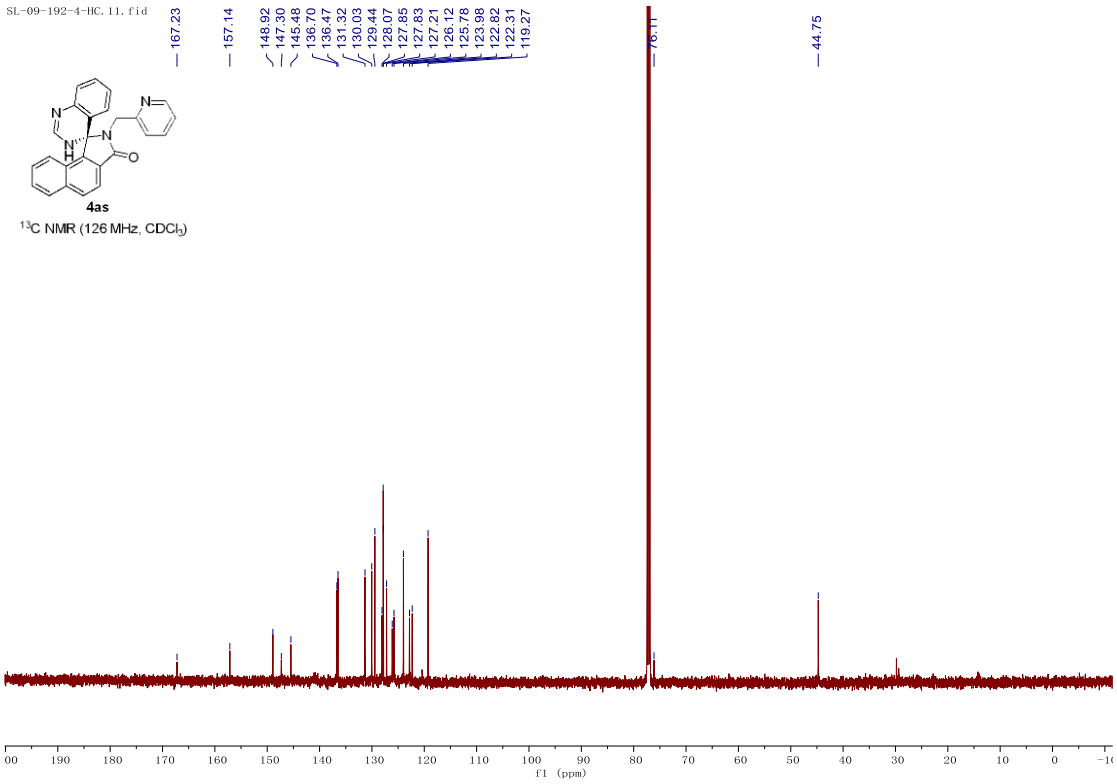

1.35
OMe
Me
OMe
4aq
1H NMR (500 MHz, CDCl3)
1.00
1.02
0.99
1.97
1.04
1.06
2.00
1.03
1.00
1.05
1.09
3.06
3.04
3.04
f1 (ppm)


SL-10-196-07-C.10.fid
168.54
154.66
147.14
145.44
136.55
131.42
130.04
129.45
127.92
127.90
127.36
127.17
125.93
123.81
123.90
120.85
119.00
101.46
77.41
77.16
76.91
76.65
70.19
48.67
48.64
44.94
20.61
OMe
Me
OMe
4aq
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SO2Me
4ar
1H NMR (500 MHz, CDCl3)
2.00
1.04
0.96
1.95
0.99
1.23
0.95
0.98
0.96
1.08
1.09
1.13
1.15
2.98
f1 (ppm)

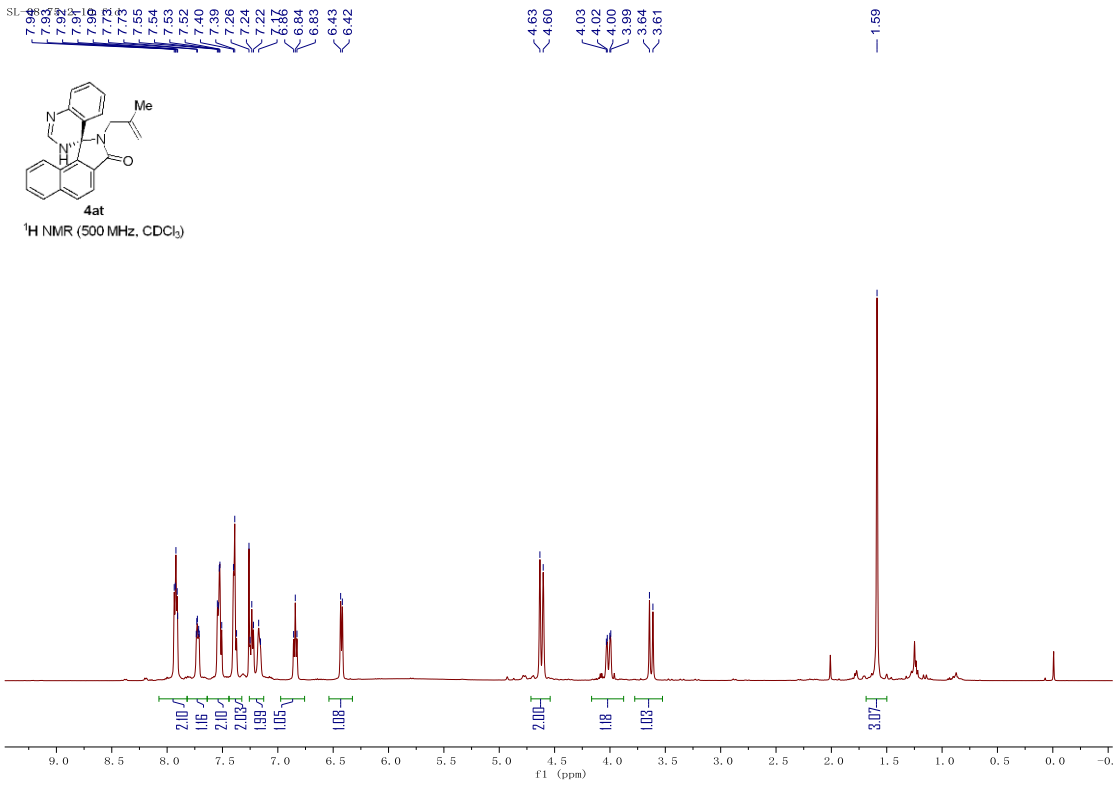

SL-10-196-03-S02.11.fid
167.49
147.42
145.81
136.56
131.49
130.49
129.56
128.07
127.97
127.70
127.08
126.14
125.96
123.78
118.98
77.41
77.16
76.91
76.75
52.39
40.93
33.78
SO2Me
4ar
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SL-09-192-4-HC.1
8.34
8.33
8.00
7.98
7.95
7.93
7.90
7.88
7.57
7.56
7.54
7.54
7.53
7.52
7.52
7.52
7.51
7.50
7.41
7.41
7.40
7.40
7.39
7.38
7.38
7.35
7.26
7.25
7.20
7.19
7.08
7.07
7.06
6.83
6.82
6.81
6.80
6.79
6.54
6.52
4.91
4.87
4.43
4.39
0.99
3.00
3.03
1.95
3.03
1.06
0.99
1.03
1.03
1.07
4ar
1H NMR (500 MHz, CDCl3)
f1 (ppm)



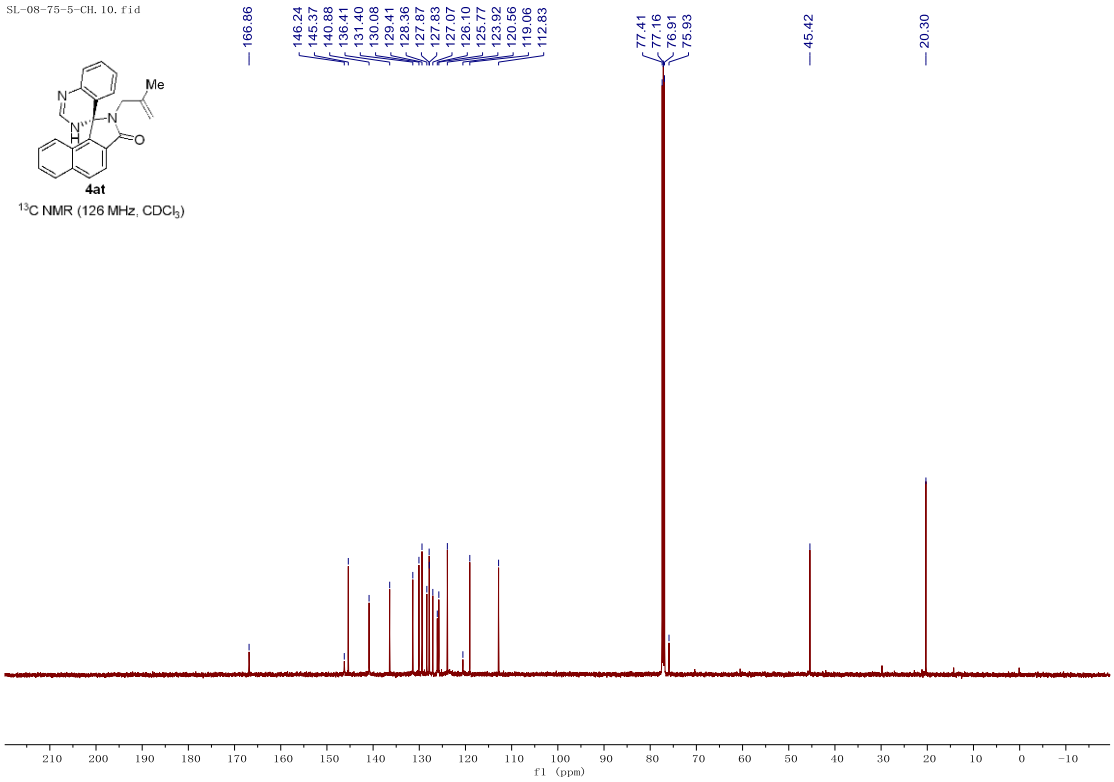
4as

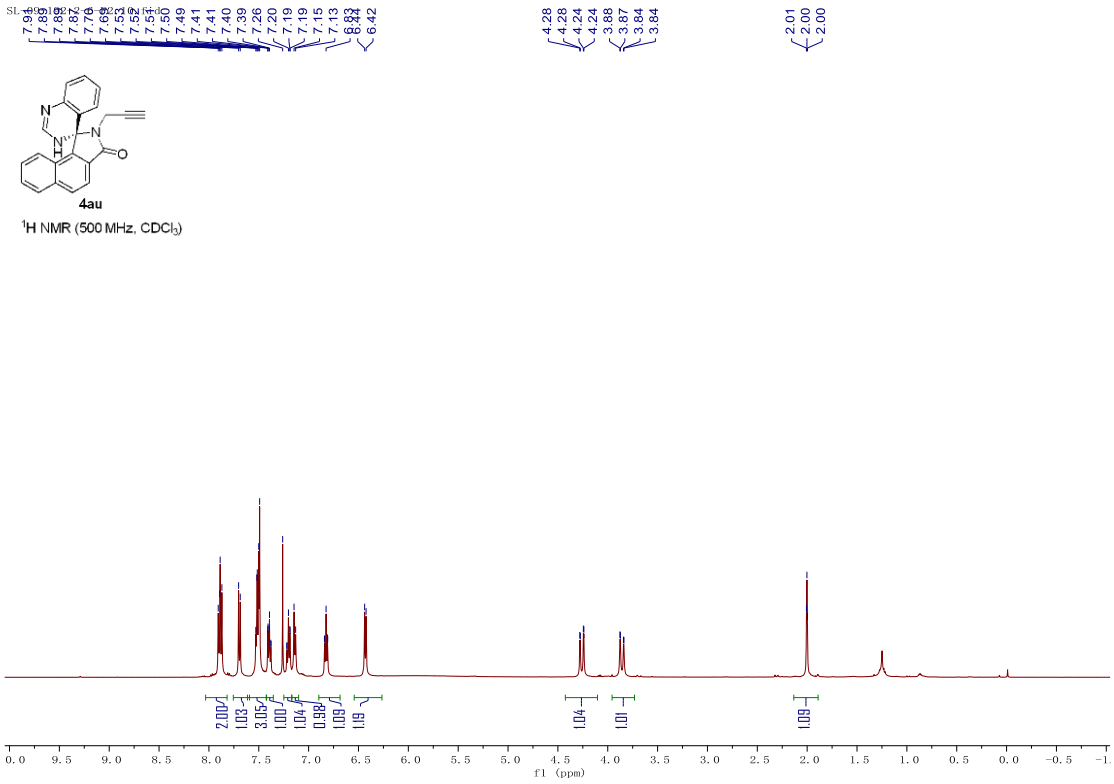
^{13}C NMR (126 MHz, $CDCl_3$)

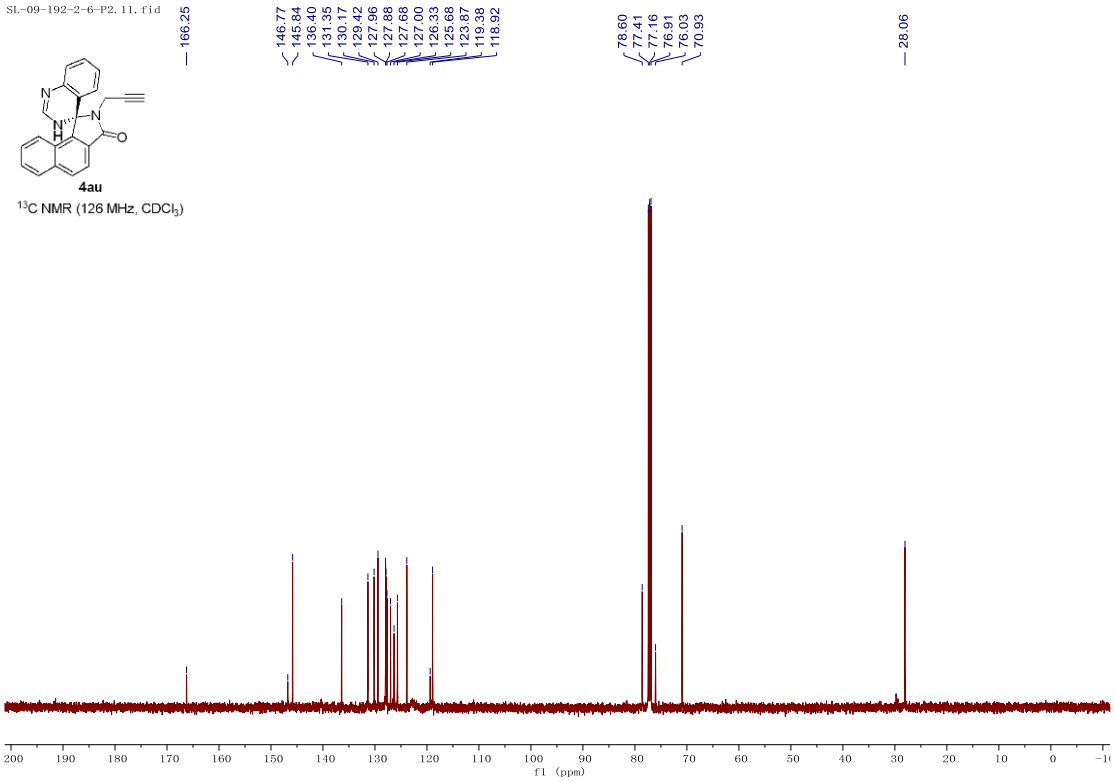


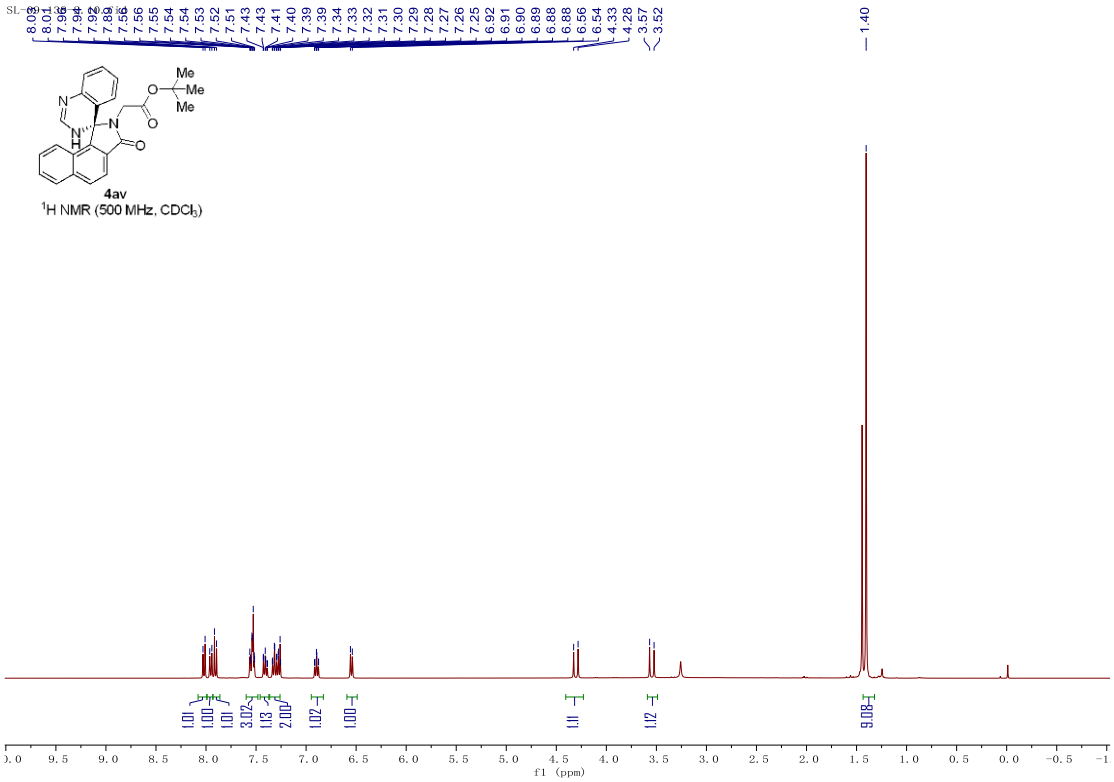
4at

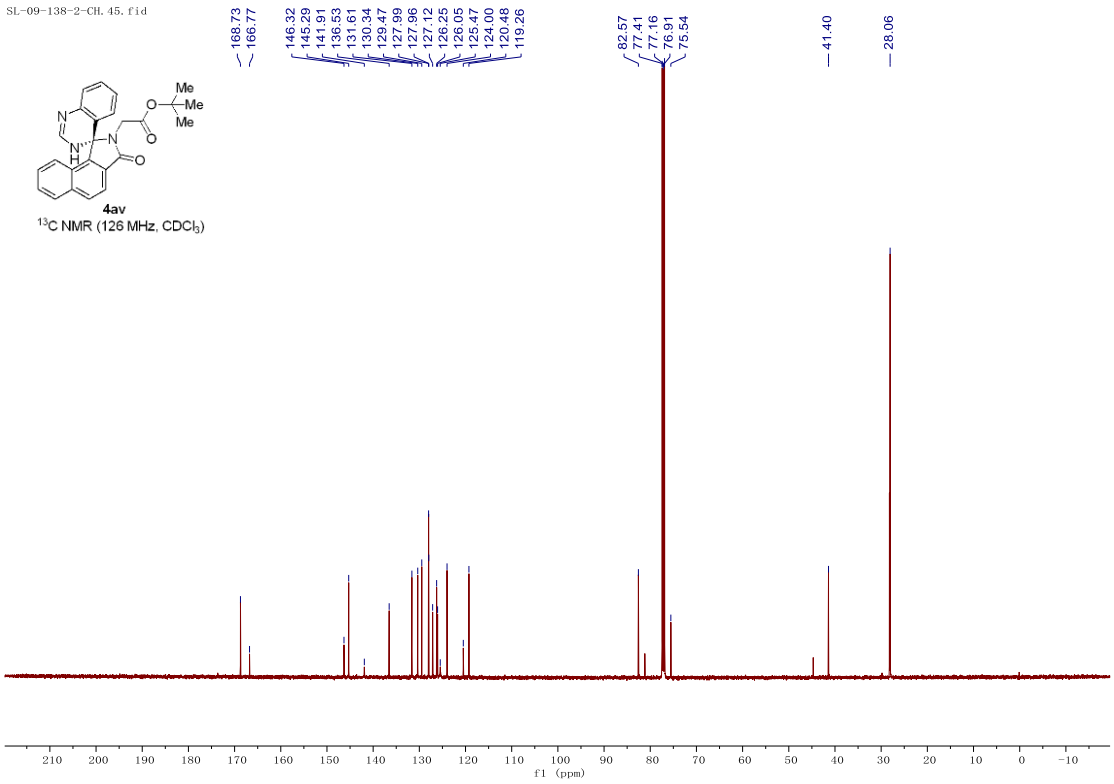
^{1}H NMR (500 MHz, $CDCl_3$)


SL-08-75-5-CH.10.fid
166.86
146.24
145.37
140.88
136.41
131.40
130.08
129.41
128.36
127.87
127.83
127.07
126.10
125.77
123.92
120.56
119.06
112.83
77.41
77.16
76.91
75.93
45.42
20.30
Me
N
H
N
O
4at
13C NMR (126 MHz, CDCl3)
f1 (ppm)


7.94
7.85
7.82
7.76
7.68
7.53
7.52
7.51
7.50
7.49
7.41
7.40
7.39
7.26
7.20
7.19
7.19
7.15
7.13
6.83
6.44
6.42
4.28
4.28
4.24
4.24
3.88
3.87
3.84
3.84
2.01
2.00
2.00
N
H
N
O
4au
1H NMR (500 MHz, CDCl3)
2.00
1.03
3.05
1.00
1.04
0.98
1.09
1.19
1.04
1.01
1.09
f1 (ppm)

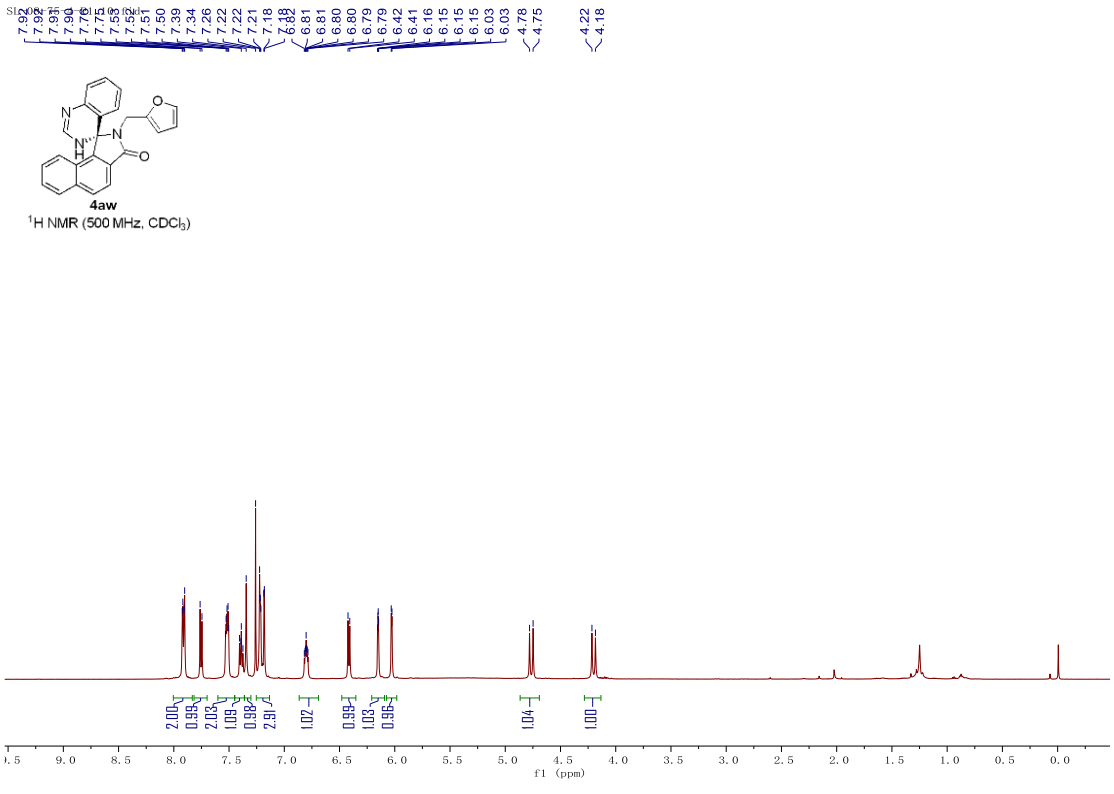

SL-09-192-2-6-P2.11.fid
166.25
146.77
145.84
136.40
131.35
130.17
129.42
127.96
127.88
127.68
127.00
126.33
125.68
123.87
119.38
118.92
78.60
77.41
77.16
76.91
76.03
70.93
28.06
4au
13C NMR (126 MHz, CDCl3)
f1 (ppm)


8.05
8.01
7.96
7.94
7.92
7.89
7.58
7.56
7.55
7.54
7.54
7.53
7.52
7.51
7.43
7.43
7.41
7.40
7.39
7.39
7.34
7.33
7.32
7.31
7.30
7.29
7.28
7.27
7.26
7.25
6.92
6.91
6.90
6.89
6.88
6.88
6.56
6.54
4.33
4.28
3.57
3.52
1.40
Me
Me
Me
4av
1H NMR (500 MHz, CDCl3)
1.01
1.00
1.01
3.02
1.13
2.00
1.02
1.00
1.11
1.12
9.08
f1 (ppm)



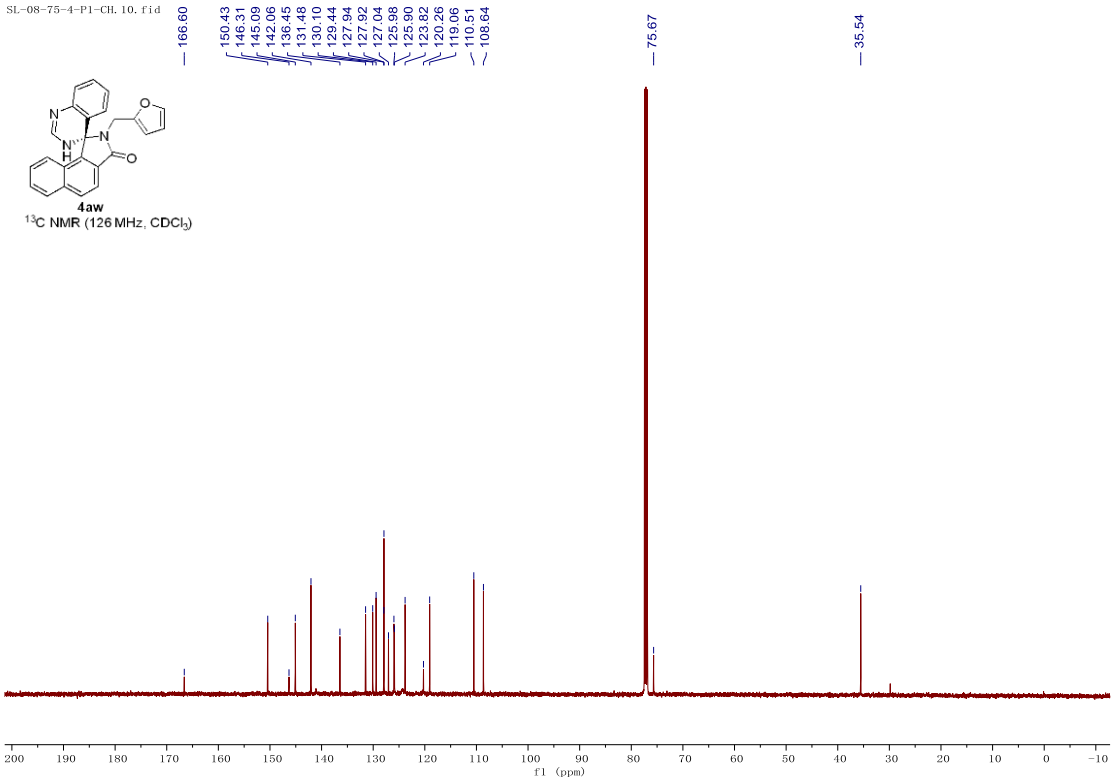
4av

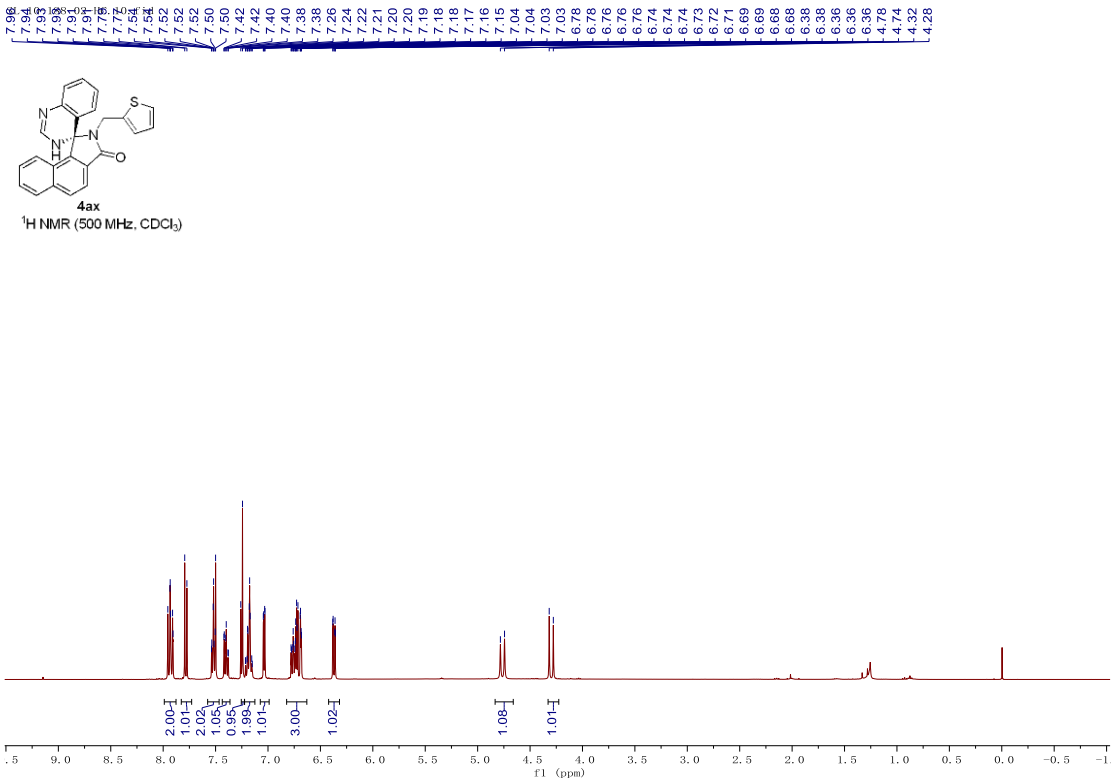
^{13}C NMR (126 MHz, $CDCl_3$)

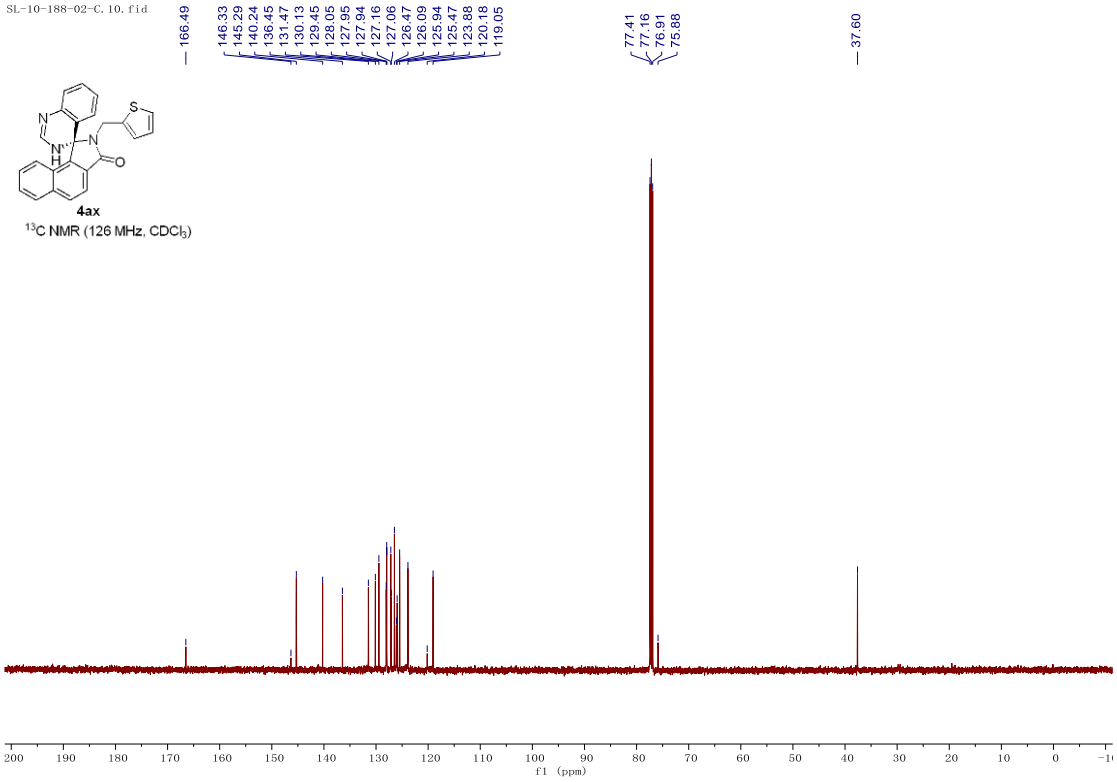


4aw

^{1}H NMR (500 MHz, $CDCl_3$)

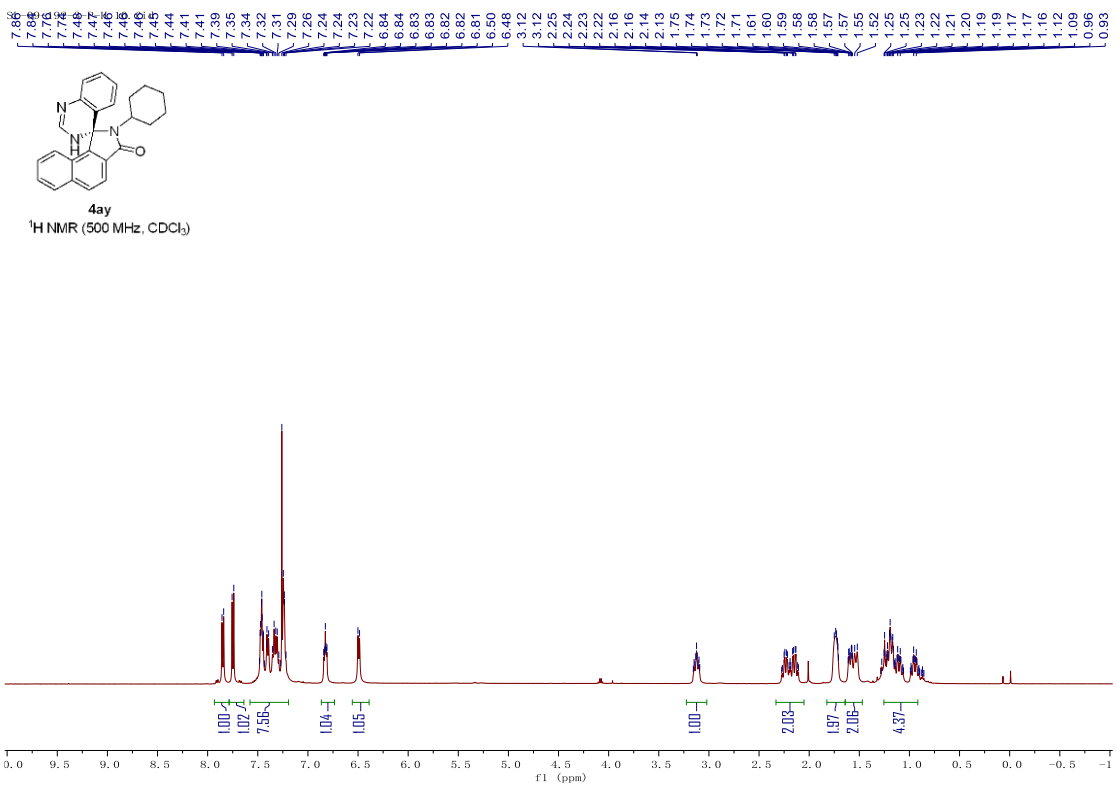

SL-08-75-4-P1-CH.10.fid
166.60
150.43
146.31
145.09
142.06
136.45
131.48
130.10
129.44
127.94
127.92
127.04
125.98
125.90
123.82
120.26
119.06
110.51
108.64
75.67
35.54
4aw
13C NMR (126 MHz, CDCl3)
f1 (ppm)


7.96
7.94
7.93
7.91
7.79
7.77
7.54
7.52
7.52
7.52
7.50
7.50
7.42
7.40
7.40
7.38
7.26
7.24
7.22
7.21
7.20
7.20
7.19
7.18
7.18
7.17
7.16
7.15
7.04
7.04
7.03
7.03
6.78
6.78
6.76
6.76
6.76
6.74
6.74
6.74
6.73
6.72
6.71
6.69
6.69
6.68
6.68
6.38
6.36
6.36
6.36
4.78
4.74
4.32
4.28
2.00
1.01
2.02
1.05
0.95
1.99
1.01
3.00
1.02
1.08
1.01
4ax
1H NMR (500 MHz, CDCl3)
f1 (ppm)



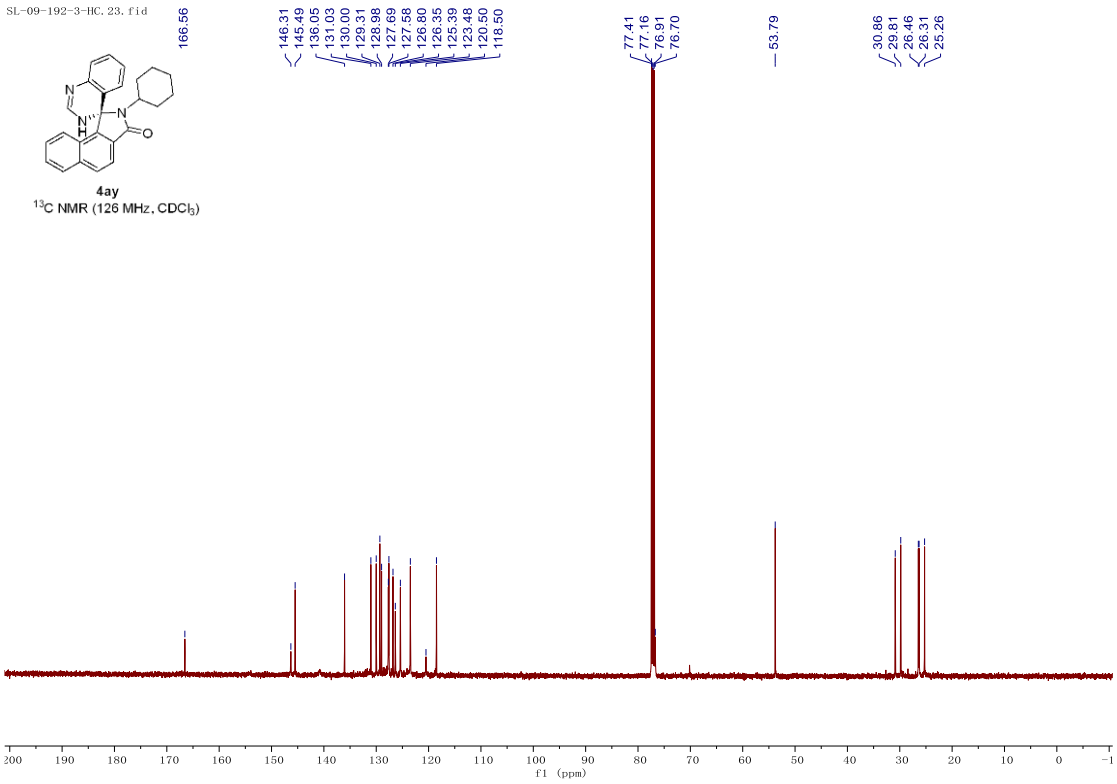
4ax

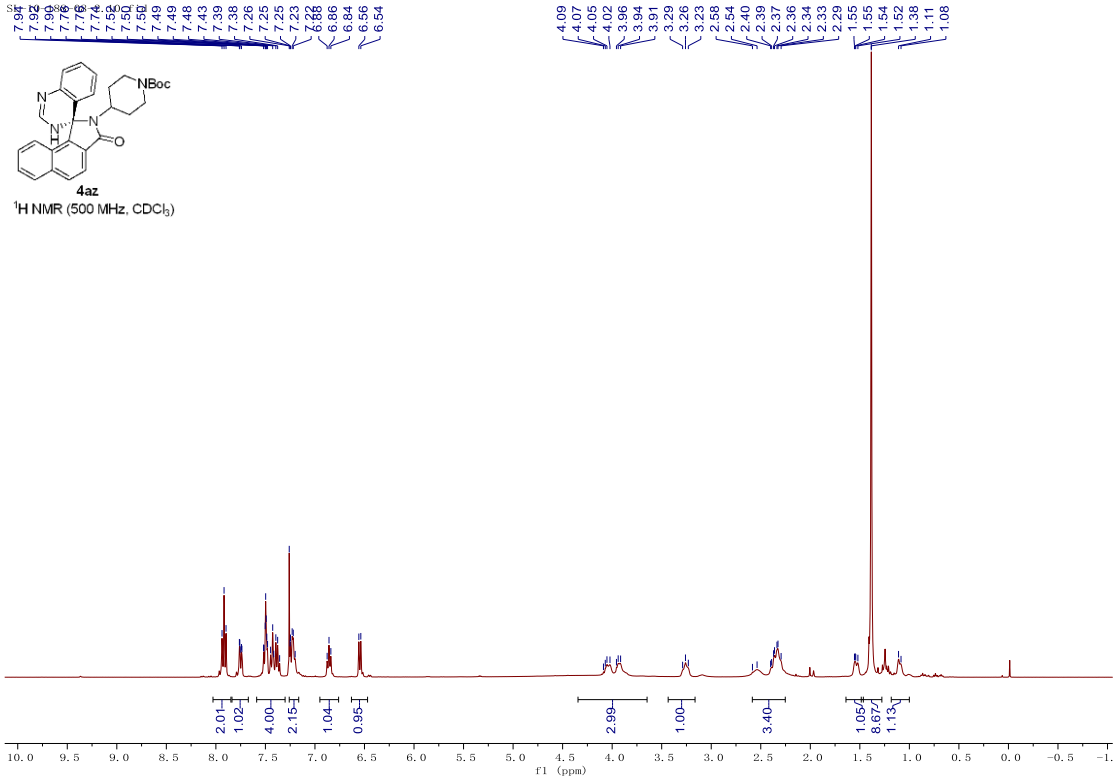
^{13}C NMR (126 MHz, $CDCl_3$)

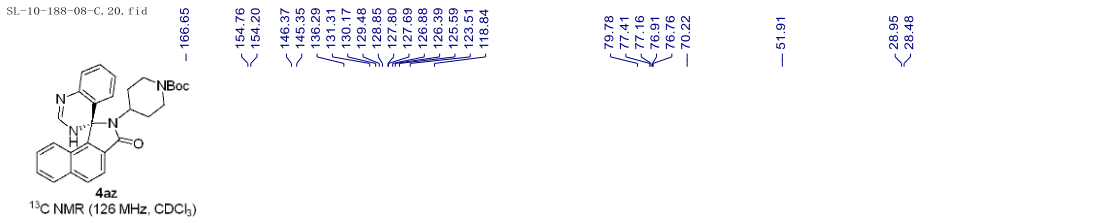


4ay

^{1}H NMR (500 MHz, $CDCl_3$)

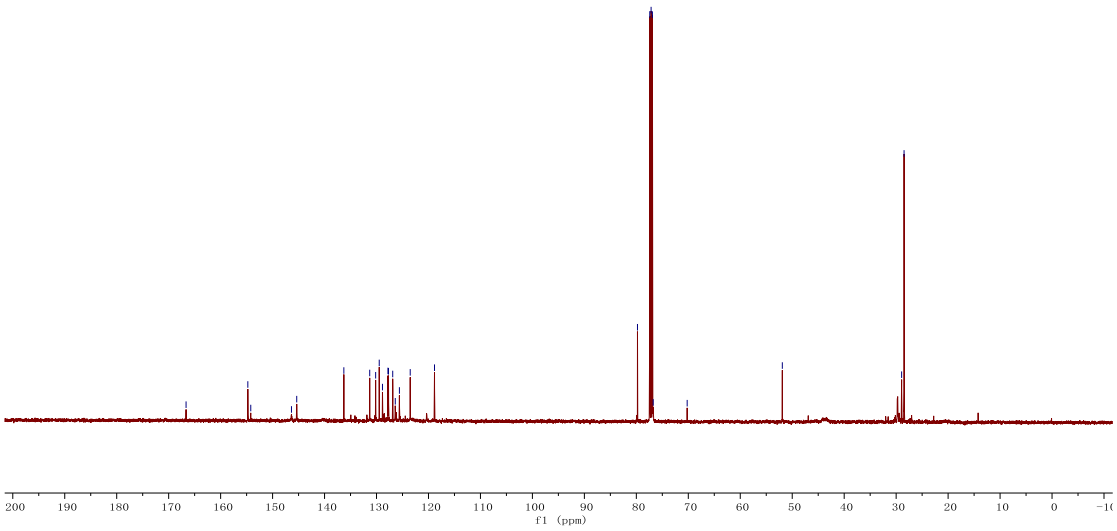

SL-09-192-3-HC.23.fid
166.56
146.31
145.49
136.05
131.03
130.00
129.31
128.98
127.69
127.58
126.80
126.35
125.39
123.48
120.50
118.50
77.41
77.16
76.91
76.70
53.79
30.86
29.81
26.46
26.31
25.26
4ay
13C NMR (126 MHz, CDCl3)
f1 (ppm)

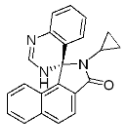

7.94
7.92
7.90
7.78
7.76
7.74
7.52
7.50
7.49
7.48
7.43
7.39
7.38
7.26
7.25
7.23
6.86
6.84
6.56
6.54
4.09
4.07
4.05
4.02
3.96
3.94
3.91
3.29
3.26
3.23
2.58
2.54
2.40
2.39
2.37
2.36
2.34
2.33
2.29
1.55
1.55
1.54
1.52
1.38
1.11
1.08
NBoc
4az
1H NMR (500 MHz, CDCl3)
2.01
1.02
4.00
2.15
1.04
0.95
2.99
1.00
3.40
1.05
8.67
1.13
f1 (ppm)



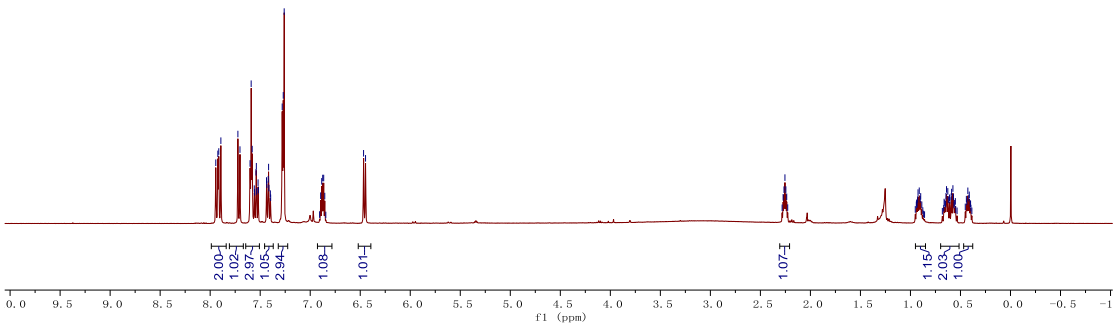
4az

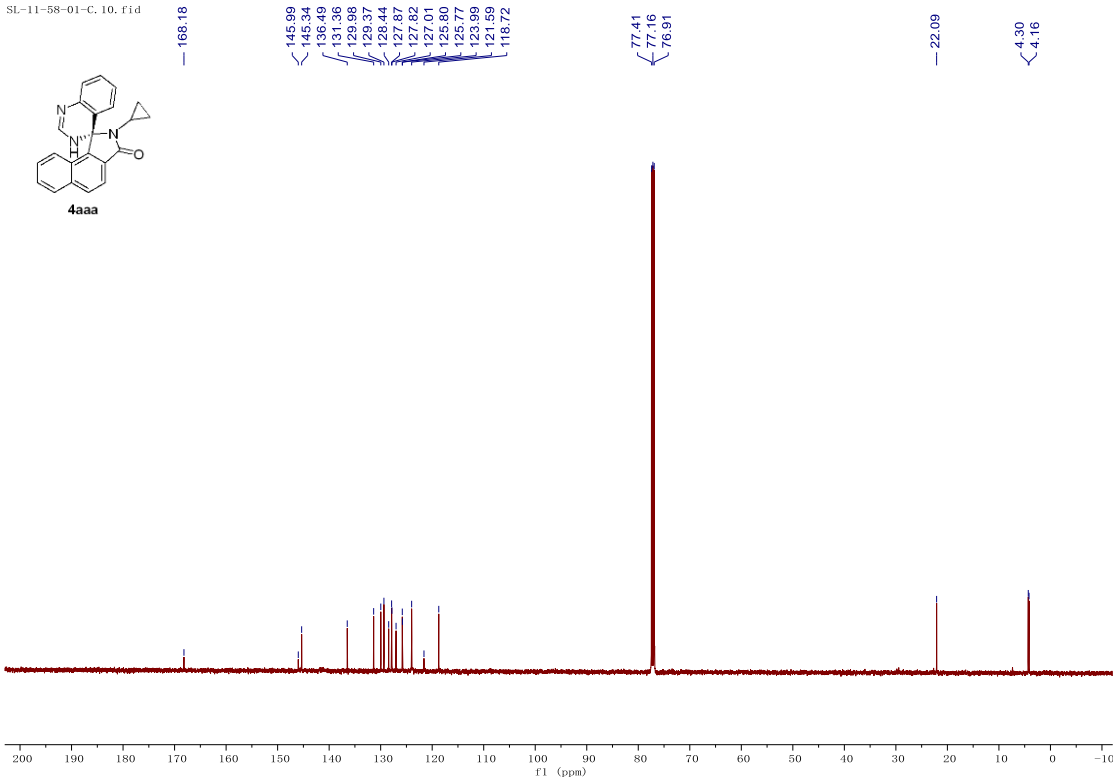
^{13}C NMR (126 MHz, $CDCl_3$)

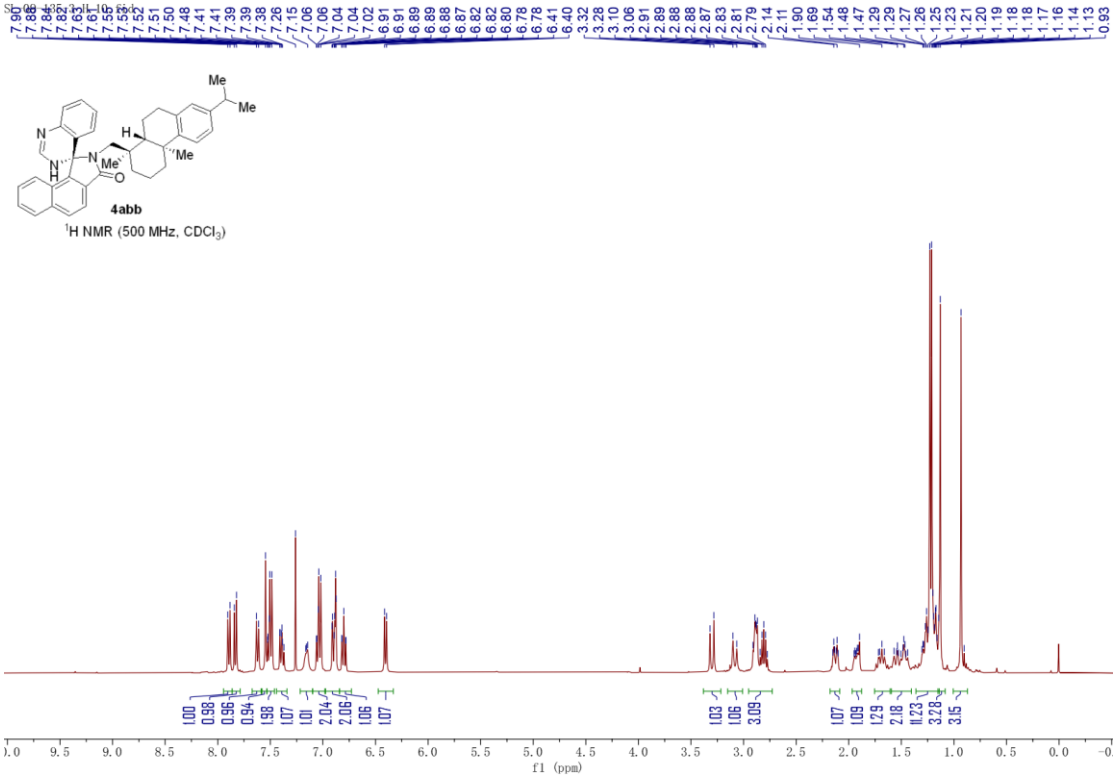


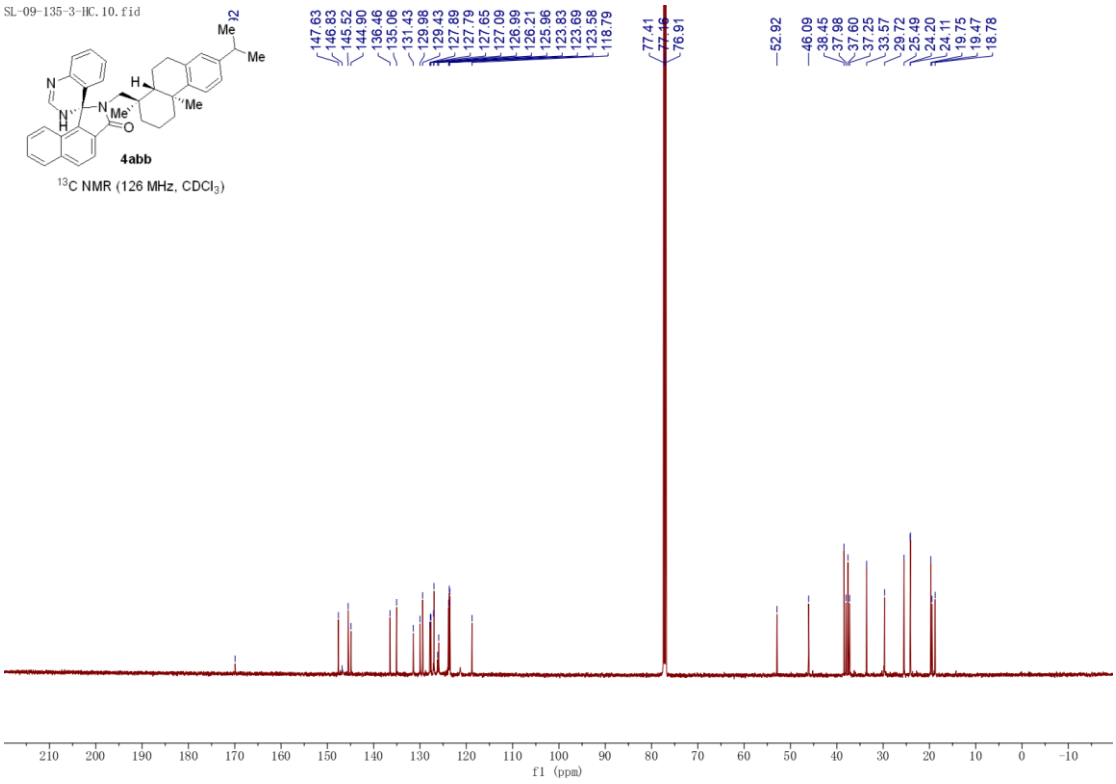


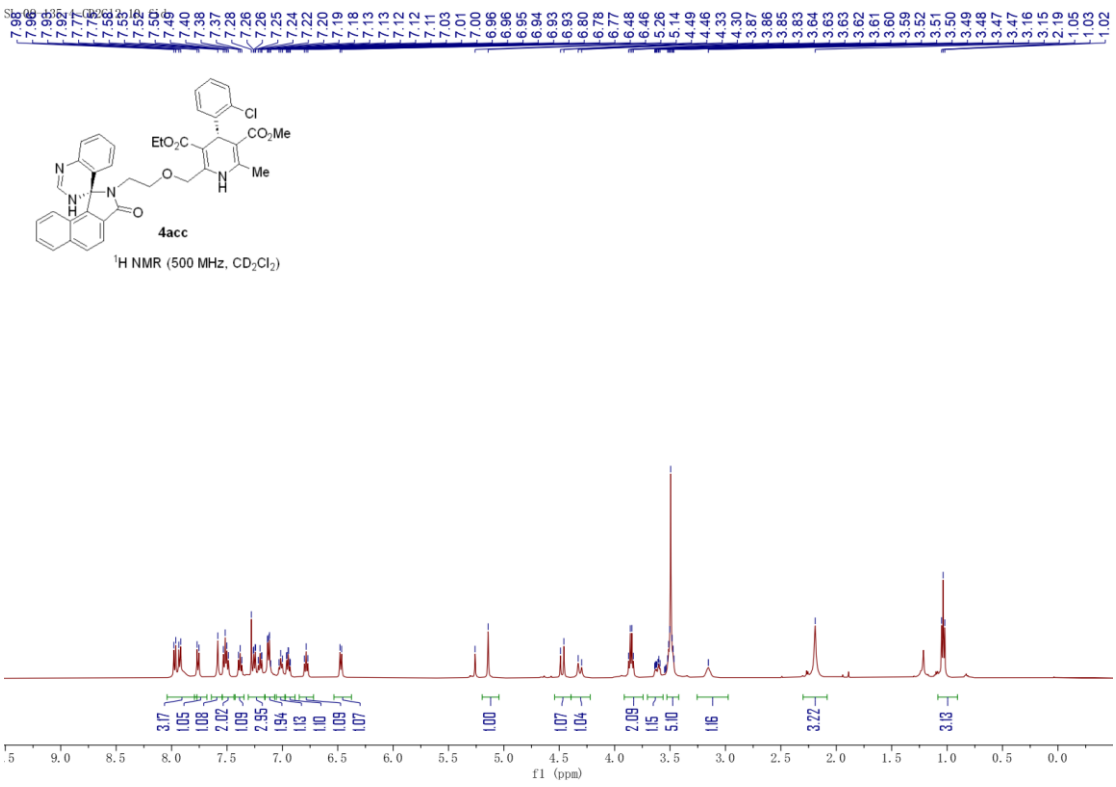
4aaa

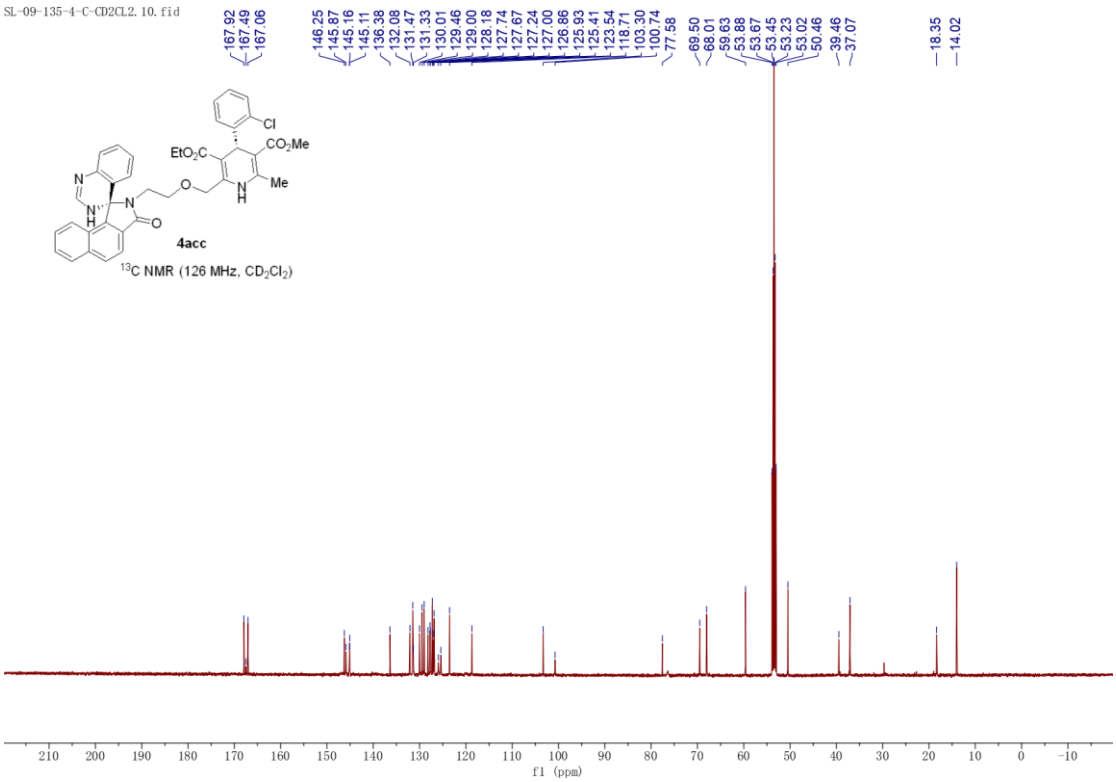


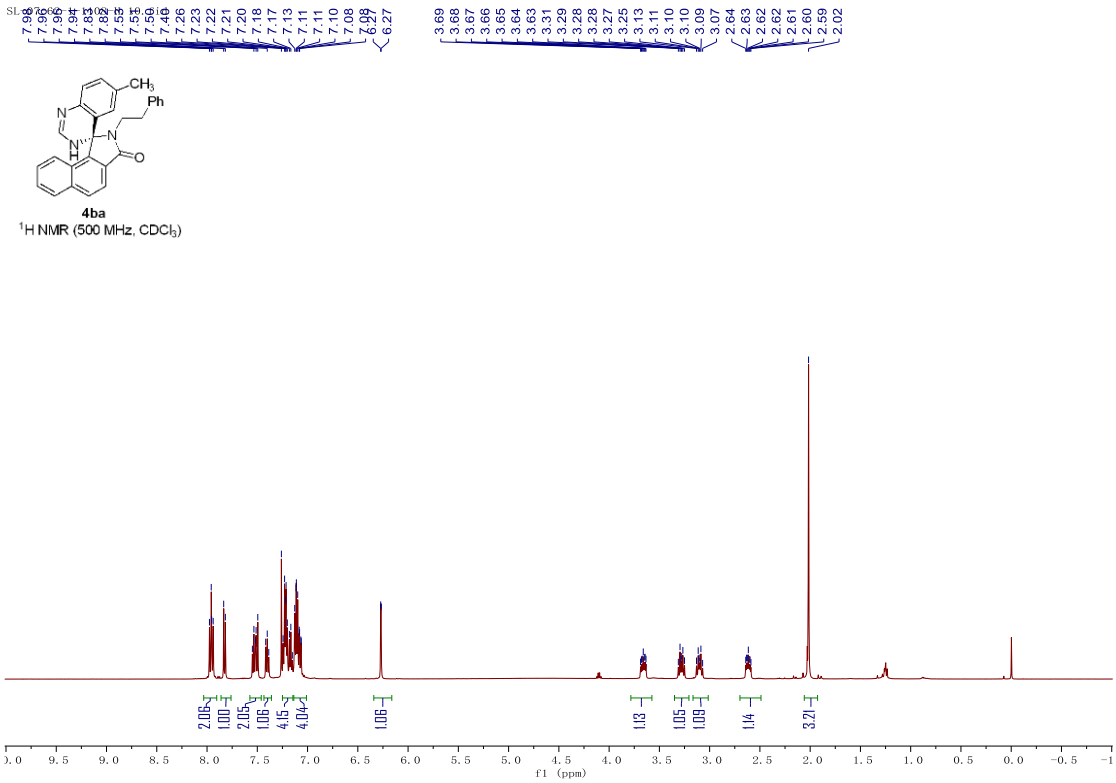

SL-11-58-01-C.10.fid
168.18
145.99
145.34
136.49
131.36
129.98
129.37
128.44
127.87
127.82
127.01
125.80
125.77
123.99
121.59
118.72
77.41
77.16
76.91
22.09
4.30
4.16
4aaa
f1 (ppm)

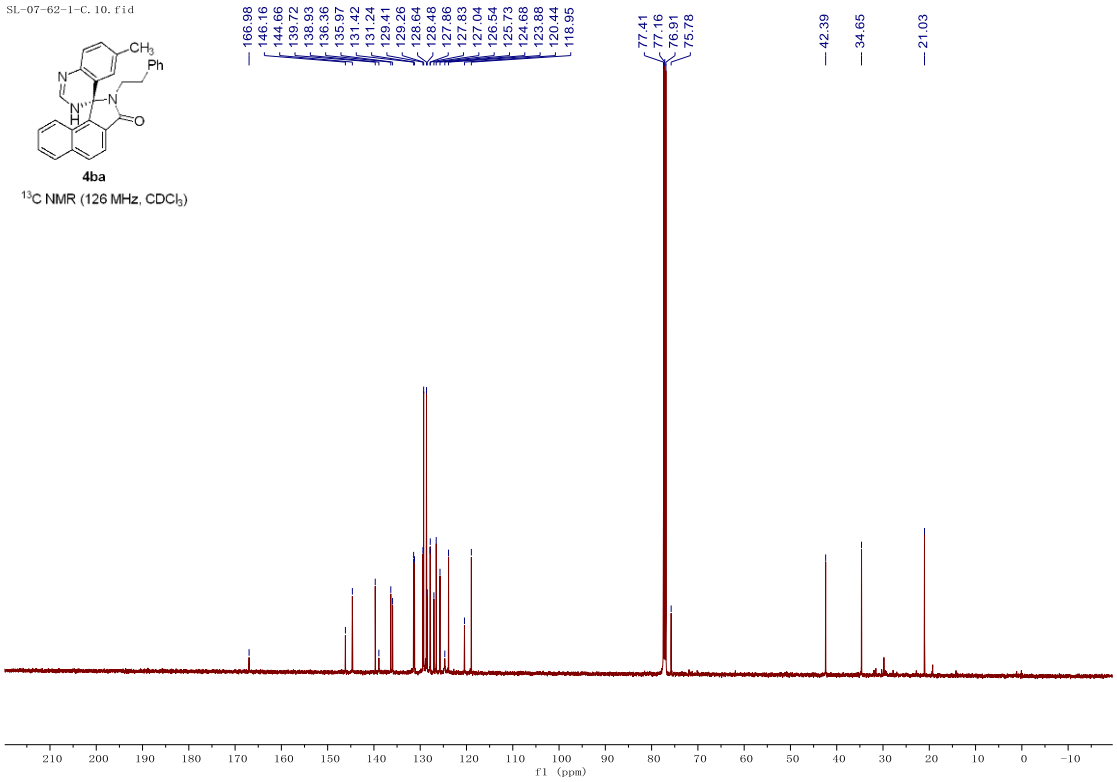

4abb
^{1}H NMR (500 MHz, CDCl$_3$)
f1 (ppm)

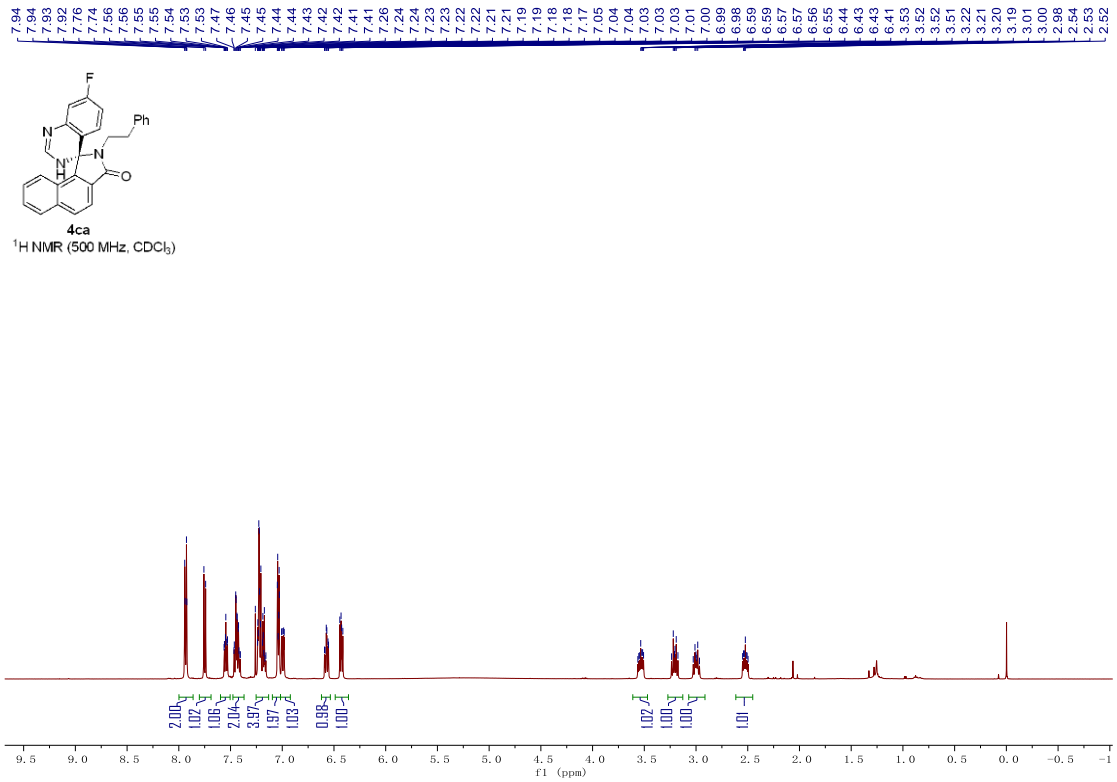

SL-09-135-3-HC.10.fid
147.63
146.83
145.52
144.90
136.46
135.06
131.43
129.98
129.43
127.89
127.79
127.65
127.09
126.99
126.21
125.96
123.83
123.69
123.58
118.79
77.41
77.16
76.91
52.92
46.09
38.45
37.98
37.60
37.25
33.57
29.72
25.49
24.20
24.11
19.75
19.47
18.78
4abb
13C NMR (126 MHz, CDCl3)
f1 (ppm)

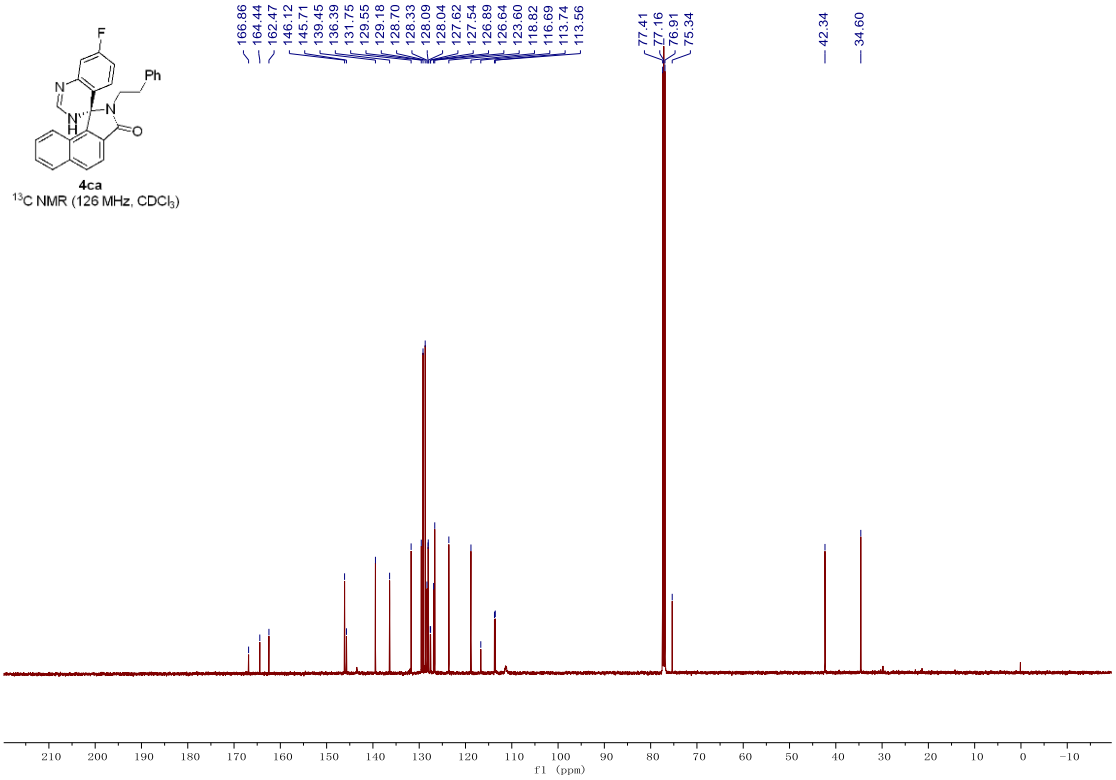

4acc
1H NMR (500 MHz, CD2Cl2)
3.17
1.05
1.08
2.02
1.09
2.95
1.94
1.13
1.10
1.09
1.07
1.00
1.07
1.04
2.09
1.15
5.10
1.16
3.22
3.13
f1 (ppm)


SL-09-135-4-C-CD2CL2.10.fid
167.92
167.49
167.06
146.25
145.87
145.16
145.11
136.38
132.08
131.47
131.33
130.01
129.46
129.00
128.18
127.74
127.67
127.24
127.00
126.86
125.93
125.41
123.54
118.71
103.30
100.74
77.58
69.50
68.01
59.63
53.88
53.67
53.45
53.23
53.02
50.46
39.46
37.07
18.35
14.02
Cl
EtO2C
CO2Me
Me
N
H
O
4acc
13C NMR (126 MHz, CD2Cl2)
f1 (ppm)


7.98
7.96
7.95
7.94
7.83
7.82
7.55
7.53
7.46
7.26
7.23
7.22
7.21
7.20
7.18
7.17
7.13
7.11
7.11
7.10
7.08
7.08
6.27
6.27
3.69
3.68
3.67
3.66
3.65
3.64
3.63
3.31
3.29
3.28
3.28
3.27
3.25
3.13
3.11
3.10
3.10
3.09
3.07
2.64
2.63
2.62
2.62
2.61
2.60
2.59
2.02
CH3
Ph
N
H
O
4ba
1H NMR (500 MHz, CDCl3)
2.06
1.00
2.05
1.06
4.15
4.04
1.06
1.13
1.05
1.09
1.14
3.21
f1 (ppm)

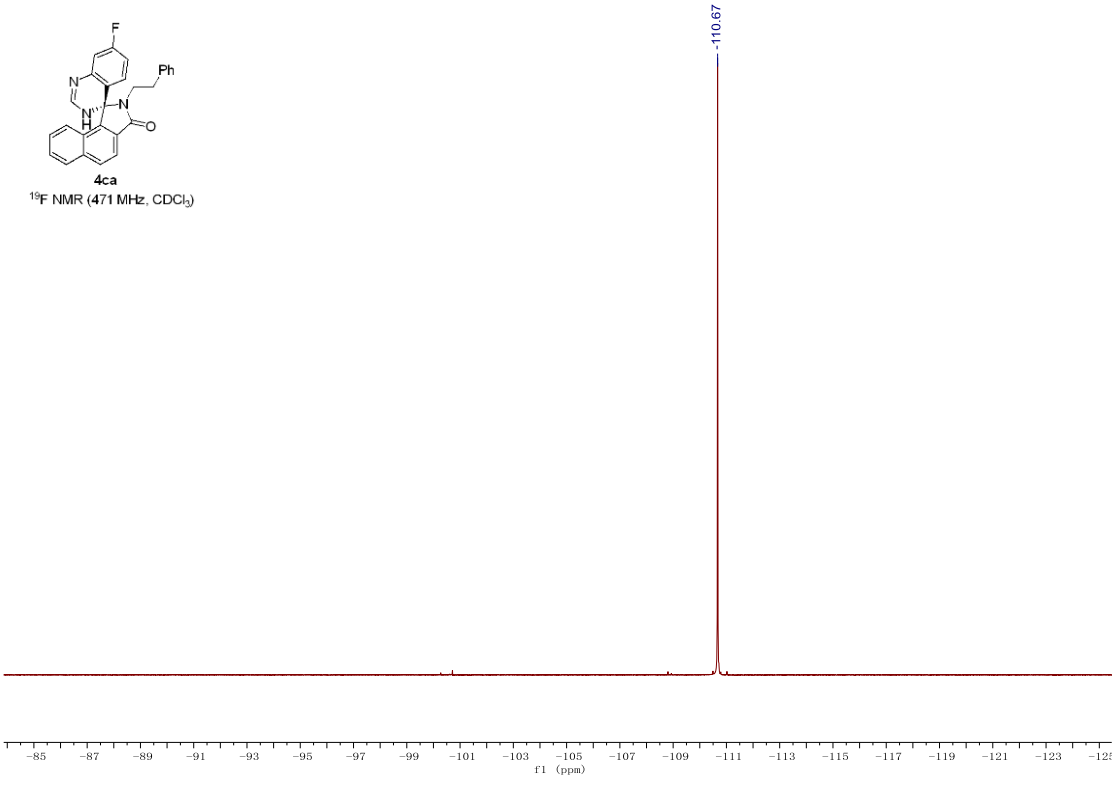

SL-07-62-1-C.10.fid
166.98
146.16
144.66
139.72
138.93
136.36
135.97
131.42
131.24
129.41
129.26
128.64
128.48
127.86
127.83
127.04
126.54
125.73
124.68
123.88
120.44
118.95
77.41
77.16
76.91
75.78
42.39
34.65
21.03
CH3
Ph
N
N
H
O
4ba
13C NMR (126 MHz, CDCl3)
f1 (ppm)


7.94
7.94
7.93
7.92
7.76
7.74
7.56
7.56
7.55
7.55
7.54
7.53
7.53
7.47
7.46
7.45
7.45
7.44
7.44
7.43
7.42
7.42
7.41
7.41
7.26
7.24
7.24
7.23
7.23
7.22
7.22
7.21
7.21
7.19
7.19
7.18
7.18
7.17
7.05
7.04
7.04
7.03
7.03
7.03
7.01
7.00
6.99
6.98
6.59
6.59
6.57
6.57
6.56
6.55
6.44
6.43
6.43
6.41
3.53
3.52
3.52
3.51
3.22
3.21
3.20
3.19
3.01
3.00
2.98
2.54
2.53
2.52
F
Ph
N
N
H
O
4ca
1H NMR (500 MHz, CDCl3)
2.00
1.02
1.06
2.04
3.97
1.97
1.03
0.98
1.00
1.02
1.00
1.00
1.01
f1 (ppm)



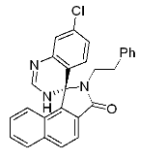
4ca

^{13}C NMR (126 MHz, $CDCl_3$)



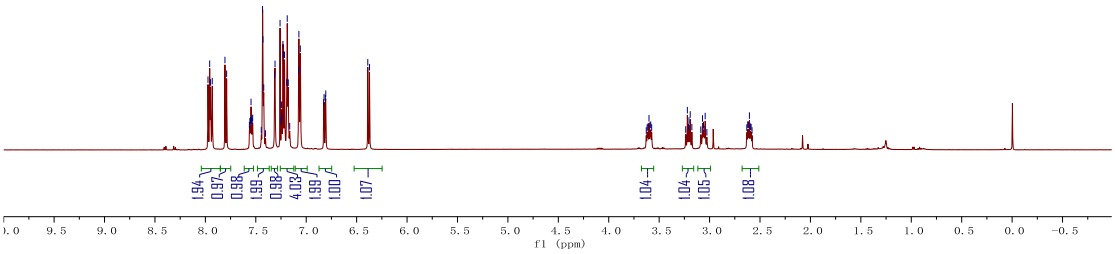
4ca

^{19}F NMR (471 MHz, $CDCl_3$)

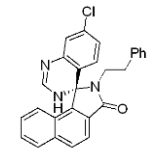


4da

^{1}H NMR (500 MHz, $CDCl_3$)

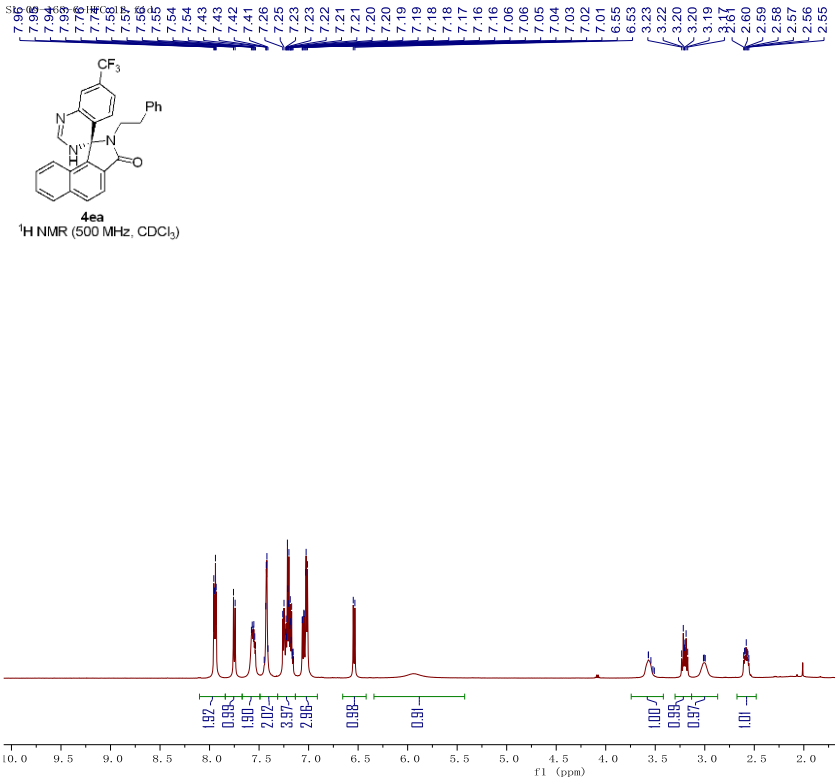


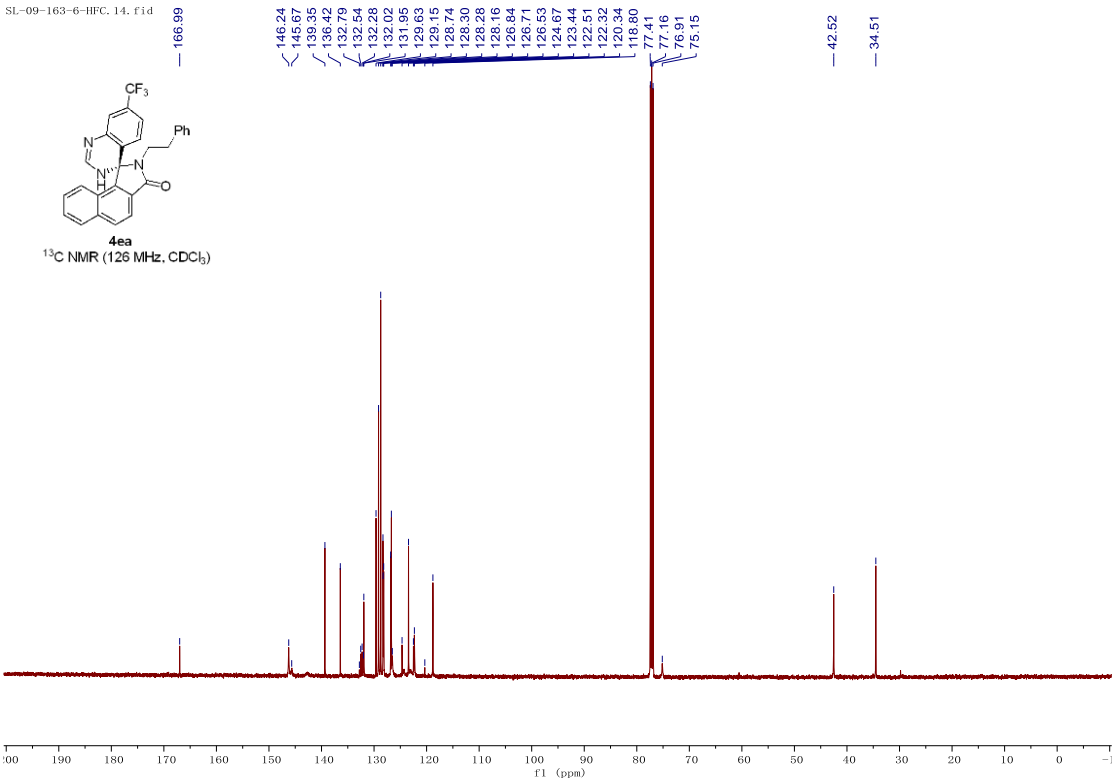
SL-07-56-1-HC.43.fid



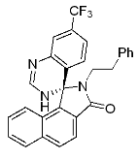
4da

^{13}C NMR (126 MHz, $CDCl_3$)


7.96
7.95
7.94
7.93
7.78
7.77
7.76
7.75
7.57
7.56
7.55
7.54
7.54
7.43
7.43
7.42
7.41
7.26
7.25
7.23
7.23
7.22
7.21
7.21
7.20
7.20
7.19
7.19
7.18
7.18
7.17
7.16
7.16
7.06
7.06
7.05
7.04
7.03
7.02
7.01
6.55
6.53
3.23
3.22
3.20
3.20
3.19
2.61
2.60
2.59
2.58
2.57
2.56
2.55
CF3
Ph
N
N
H
O
4ea
1H NMR (500 MHz, CDCl3)
1.92
0.99
1.90
2.02
3.97
2.96
0.98
0.91
1.00
0.99
0.97
1.01
f1 (ppm)

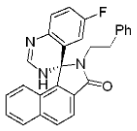

SL-09-163-6-HFC. 14. fid
166.99
146.24
145.67
139.35
136.42
132.79
132.54
132.28
132.02
131.95
129.63
129.15
128.74
128.30
128.28
128.16
126.84
126.71
126.53
124.67
123.44
122.51
122.32
120.34
118.80
77.41
77.16
76.91
75.15
42.52
34.51
CF3
Ph
N
N
H
O
4ea
13C NMR (126 MHz, CDCl3)
f1 (ppm)

SL-09-163-6-HFC. 13. fid



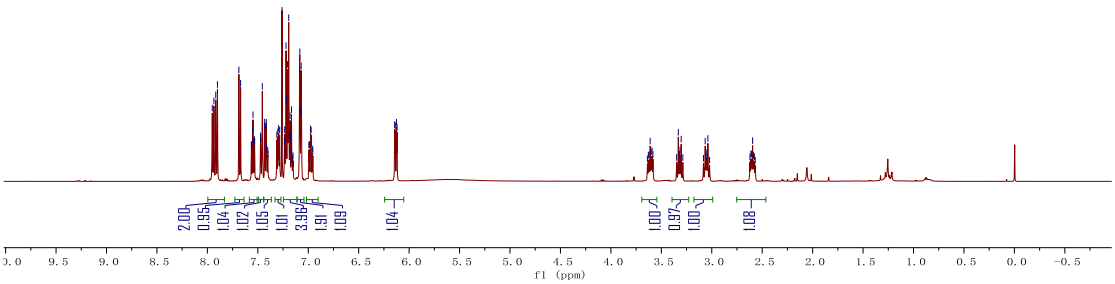
3-4ea

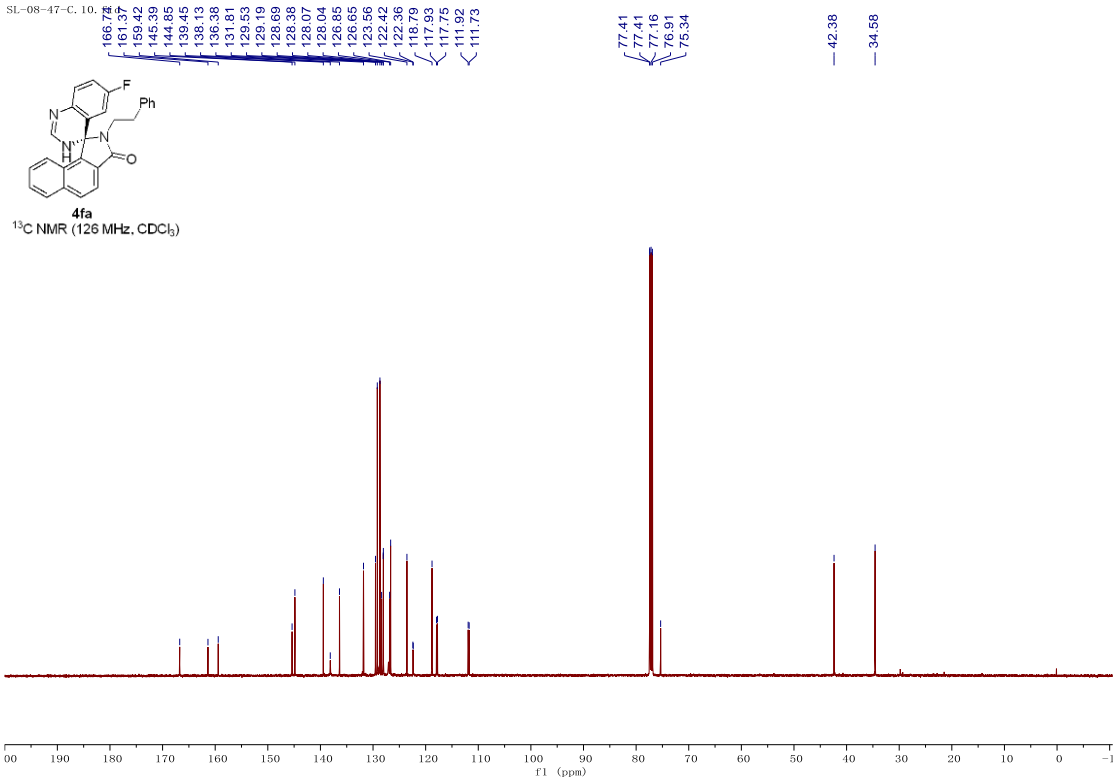
^{19}F NMR (471 MHz, $CDCl_3$)



4fa

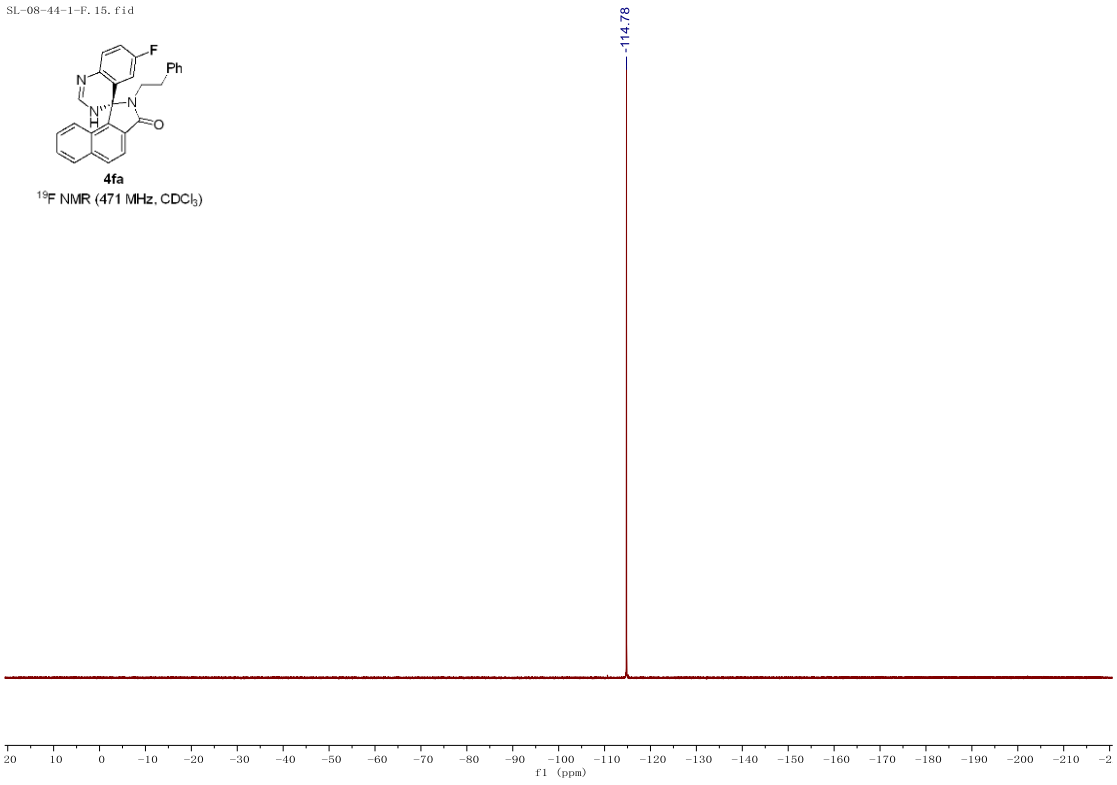
^{1}H NMR (500 MHz, $CDCl_3$)





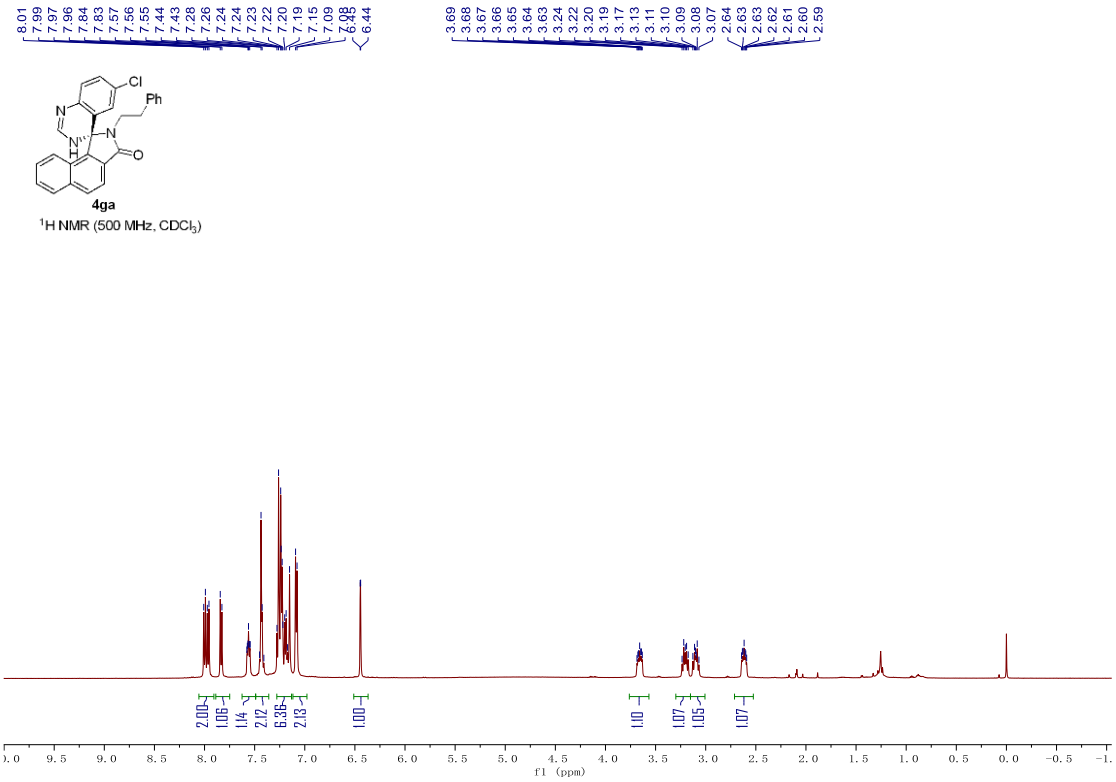
4fa

^{13}C NMR (126 MHz, $CDCl_3$)



4fa

^{19}F NMR (471 MHz, $CDCl_3$)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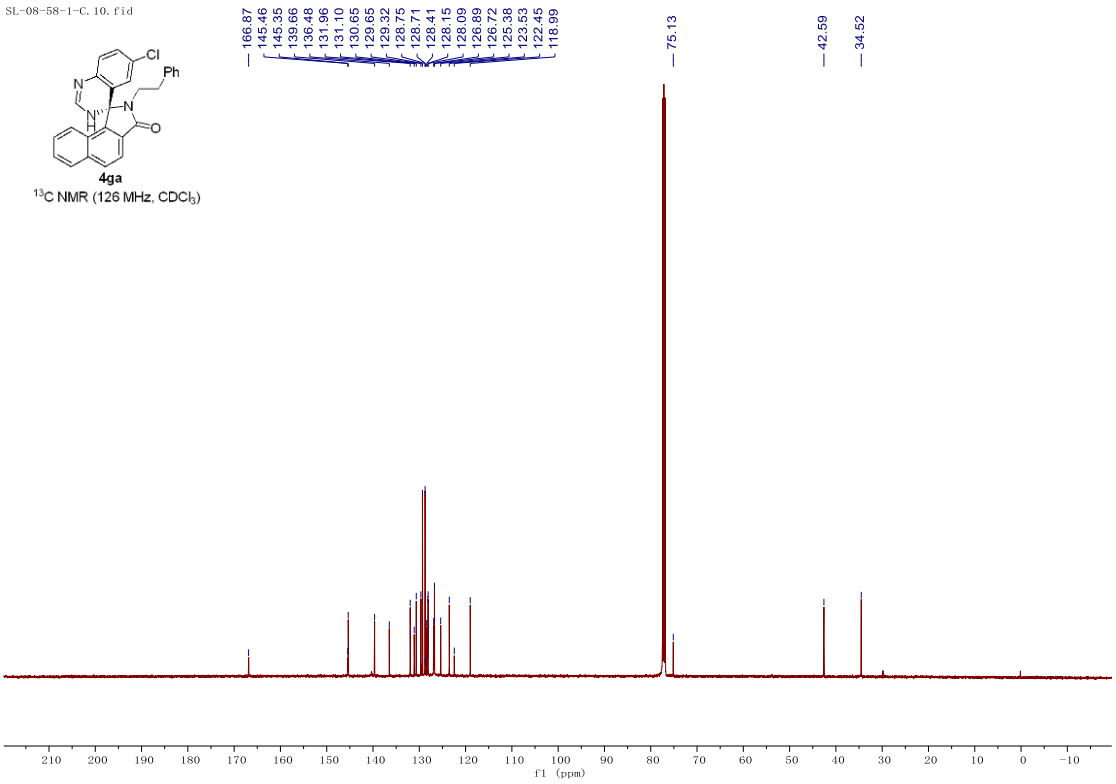
7.99
7.97
7.96
7.84
7.83
7.57
7.56
7.55
7.44
7.43
7.28
7.26
7.24
7.24
7.23
7.22
7.20
7.19
7.15
7.09
7.08
6.45
6.44
3.69
3.68
3.67
3.66
3.65
3.64
3.63
3.24
3.22
3.20
3.19
3.17
3.13
3.11
3.10
3.09
3.08
3.07
2.64
2.63
2.63
2.62
2.61
2.60
2.59
Cl
Ph
N
N
H
O
4ga
1H NMR (500 MHz, CDCl3)
2.00
1.06
1.14
2.12
6.36
2.13
1.00
1.10
1.07
1.05
1.07
f1 (ppm)


SL-08-58-1-C.10.fid
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145.46
145.35
139.66
136.48
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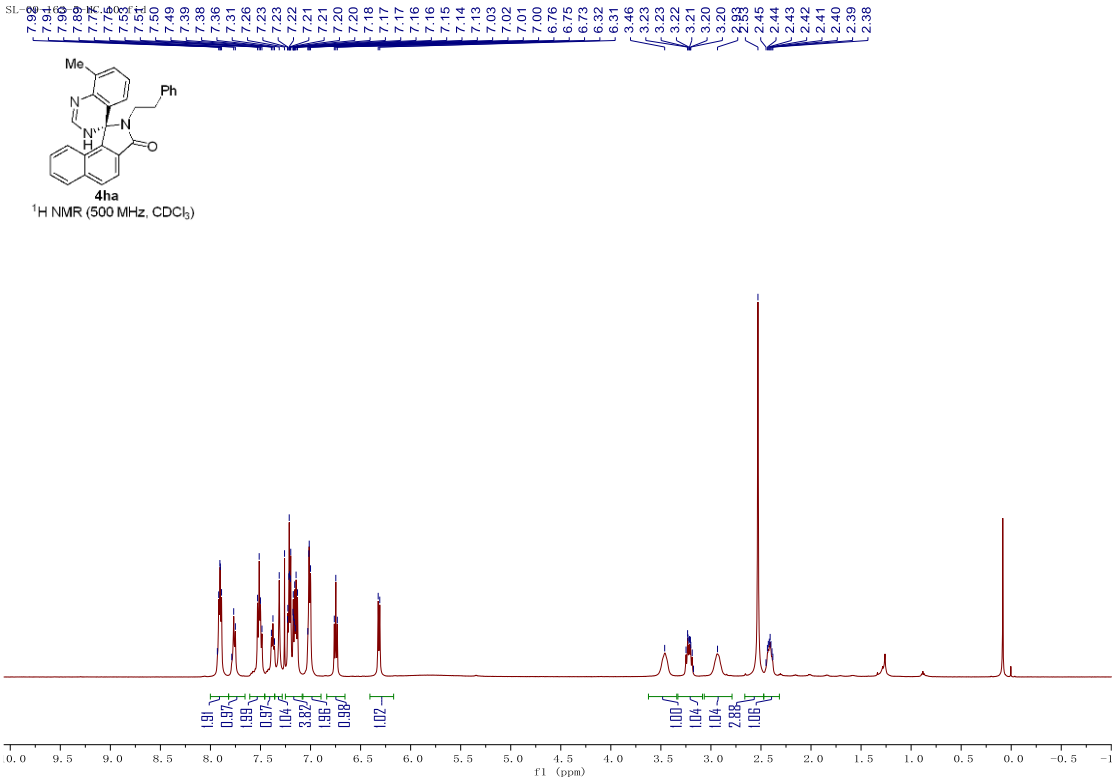
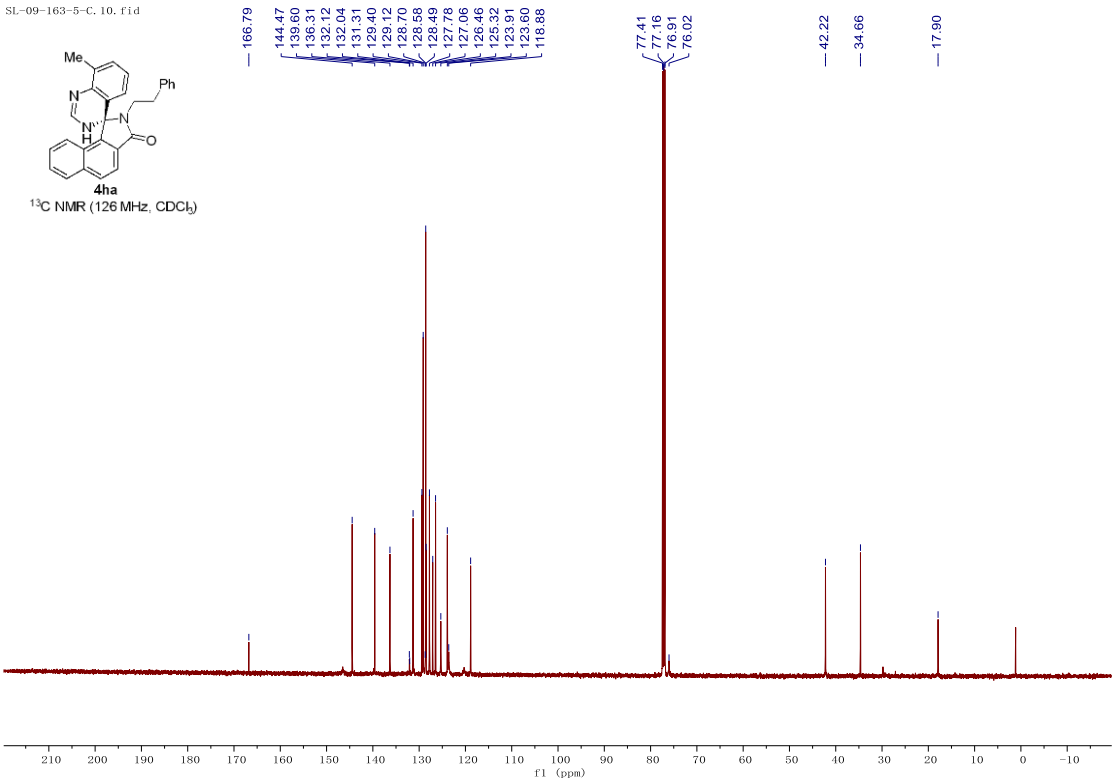
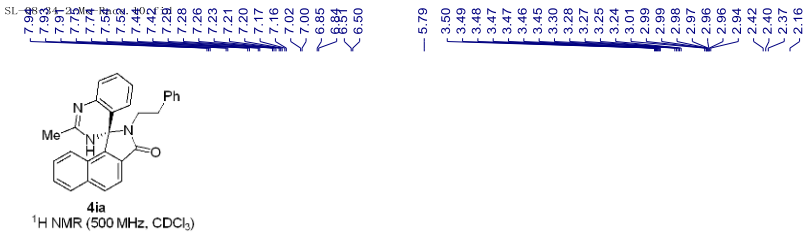
130.65
129.65
129.32
128.75
128.71
128.41
128.15
128.09
126.89
126.72
125.38
123.53
122.45
118.99
75.13
42.59
34.52
Cl
Ph
N
N
H
O
4ga
13C NMR (126 MHz, CDCl3)
f1 (ppm)

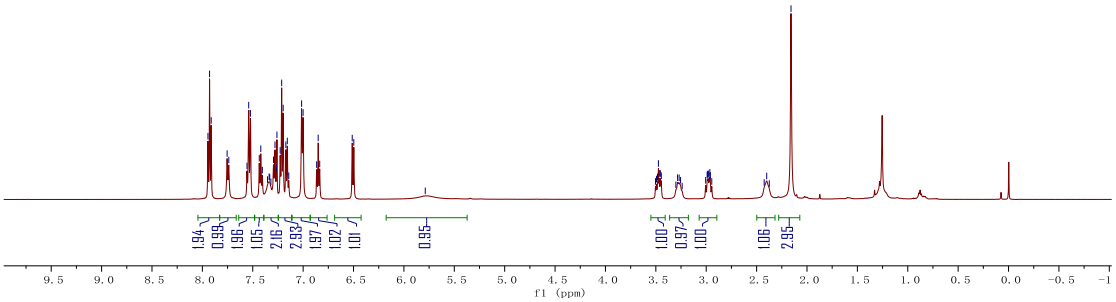

Me
Ph
N
N
H
O
4ha
1H NMR (500 MHz, CDCl3)
f1 (ppm)

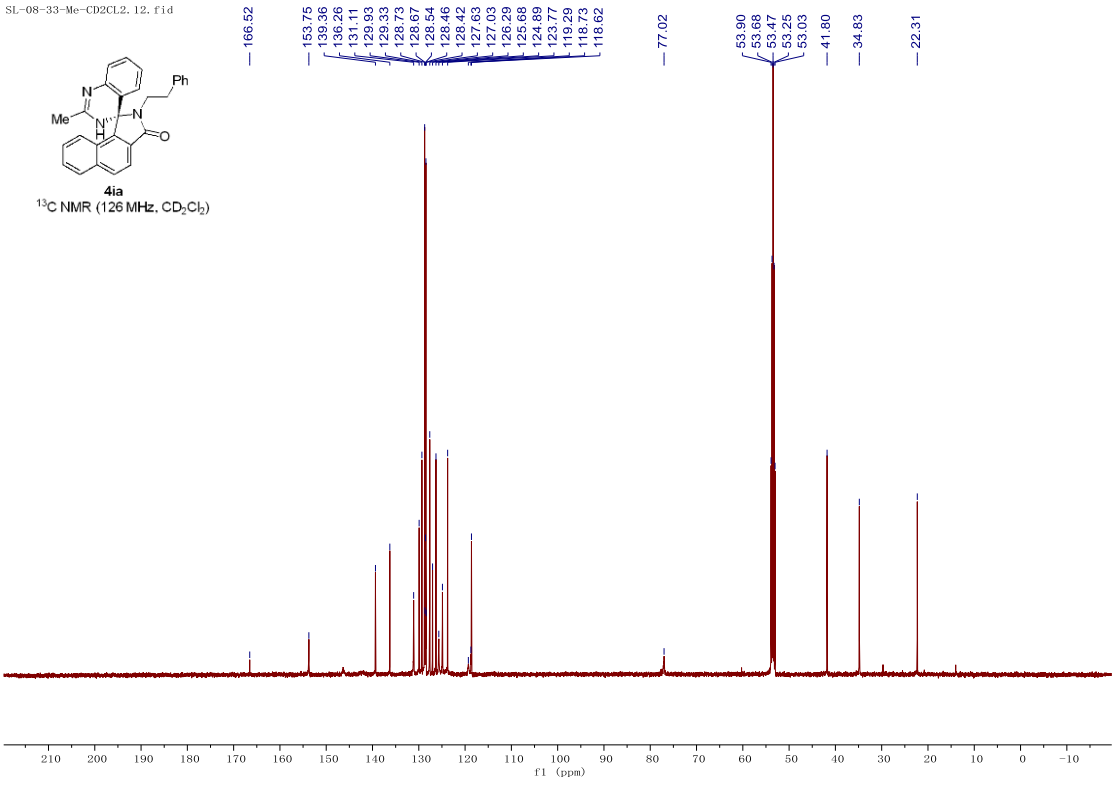

SL-09-163-5-C.10.fid
Me
Ph
N
N
H
O
4ha
13C NMR (126 MHz, CDCl3)
f1 (ppm)



4ia

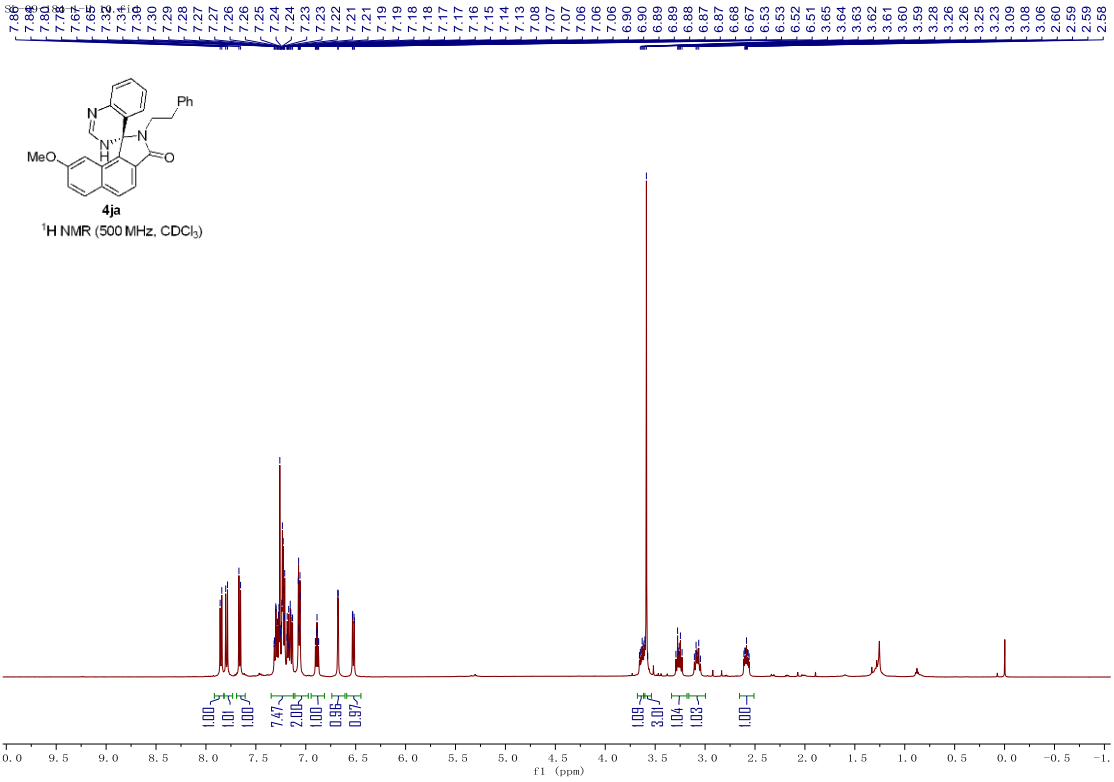
^{1}H NMR (500 MHz, $CDCl_3$)

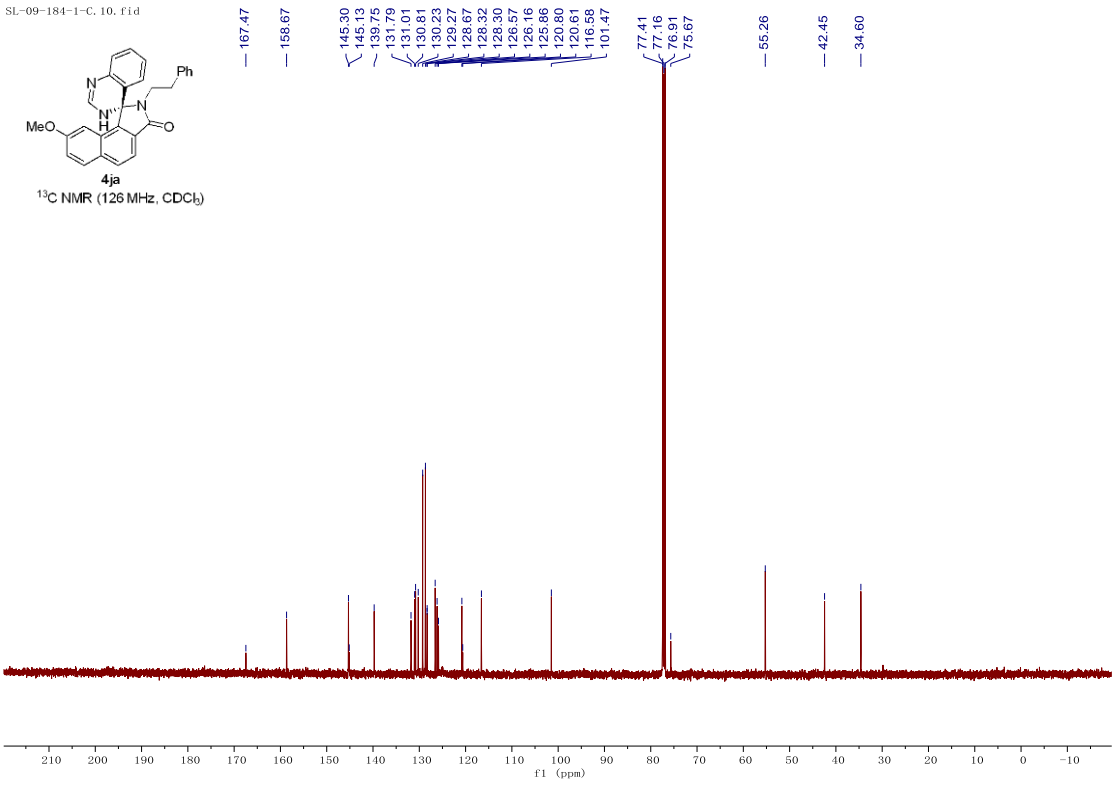


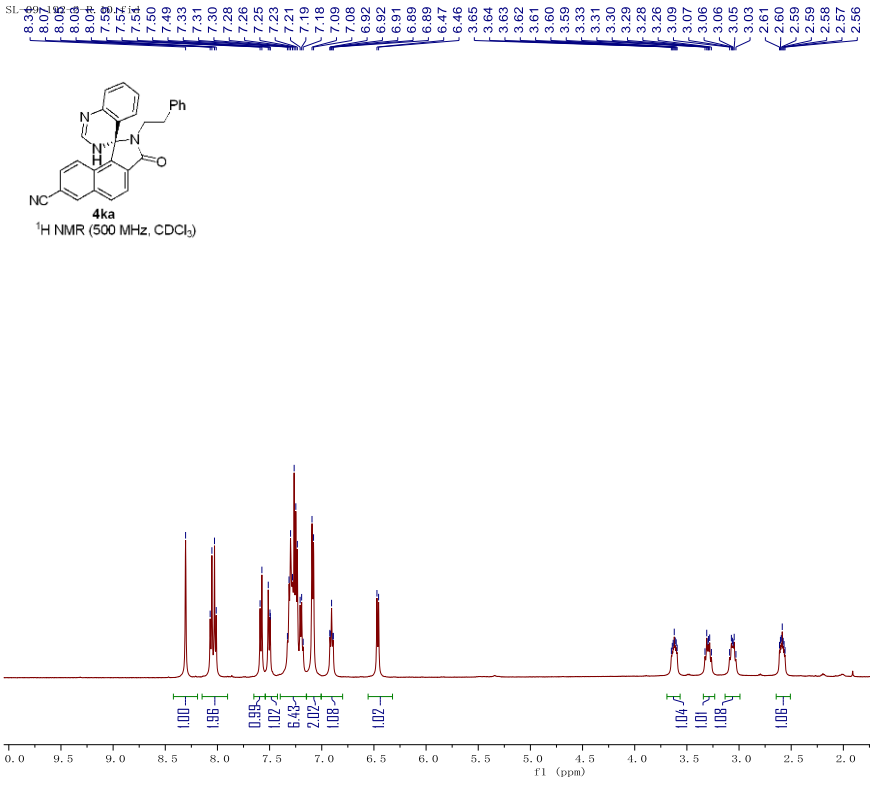


4ia

^{13}C NMR (126 MHz, CD_2Cl_2)


4ja
^{1}H NMR (500 MHz, CDCl$_3$)


SL-09-184-1-C.10.fid
4ja
^{13}C NMR (126 MHz, CDCl$_3$)


SL-09-192-2-H.10.fid
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8.07
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8.03
7.58
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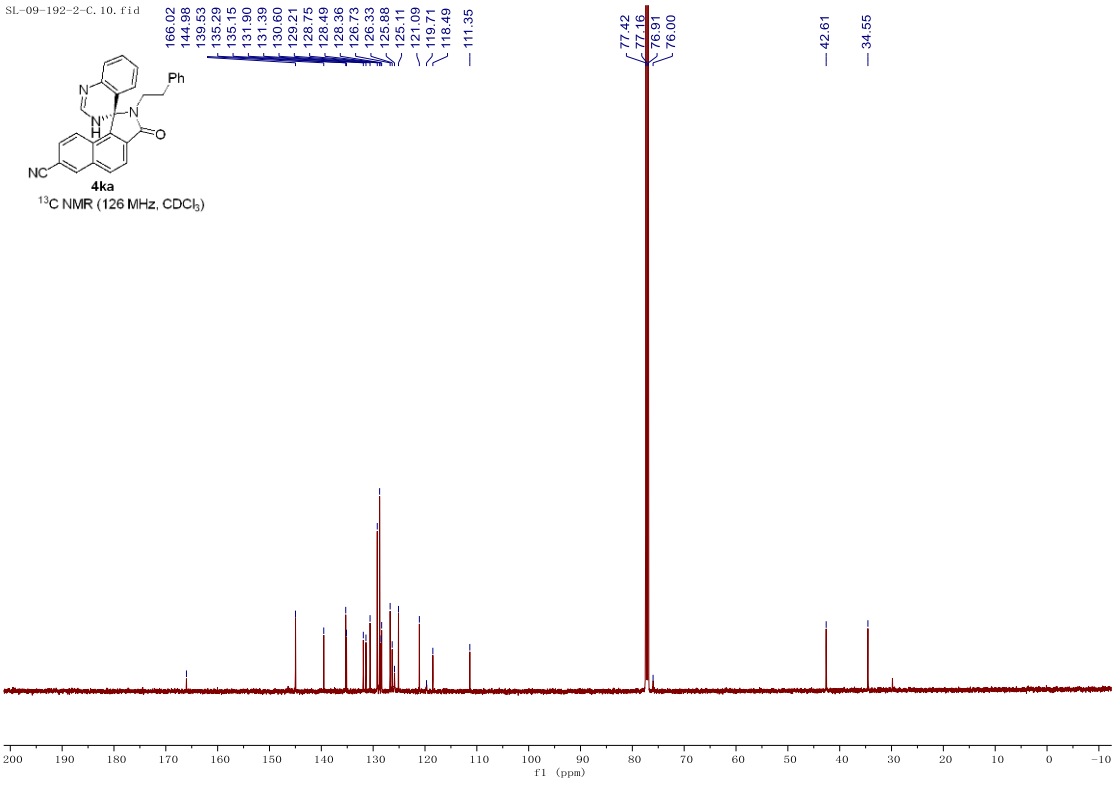
7.54
7.50
7.49
7.33
7.31
7.30
7.28
7.26
7.25
7.23
7.21
7.19
7.18
7.09
7.08
6.92
6.91
6.89
6.89
6.47
6.46
3.65
3.64
3.63
3.62
3.61
3.60
3.59
3.33
3.31
3.30
3.29
3.28
3.26
3.09
3.07
3.06
3.06
3.05
3.03
2.61
2.60
2.59
2.59
2.58
2.57
2.56
Ph
N
N
H
O
NC
4ka
1H NMR (500 MHz, CDCl3)
1.00
1.96
0.99
1.02
6.43
2.02
1.08
1.02
1.04
1.01
1.08
1.06
f1 (ppm)


SL-09-192-2-C.10.fid
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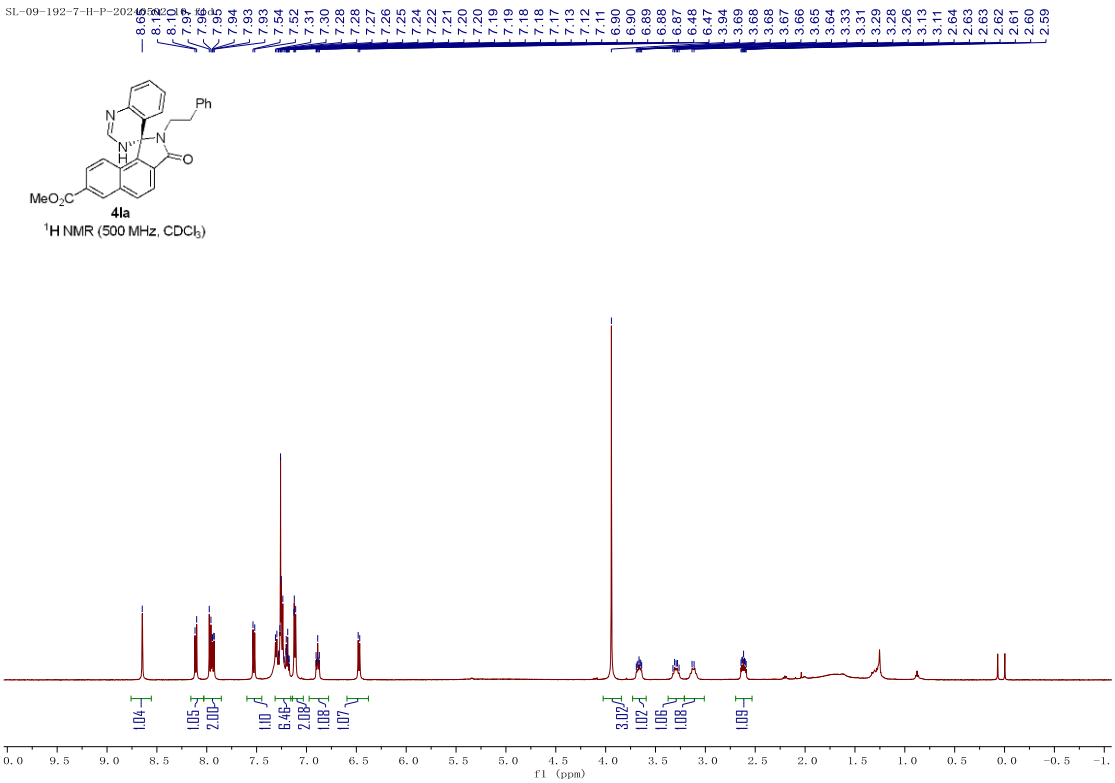
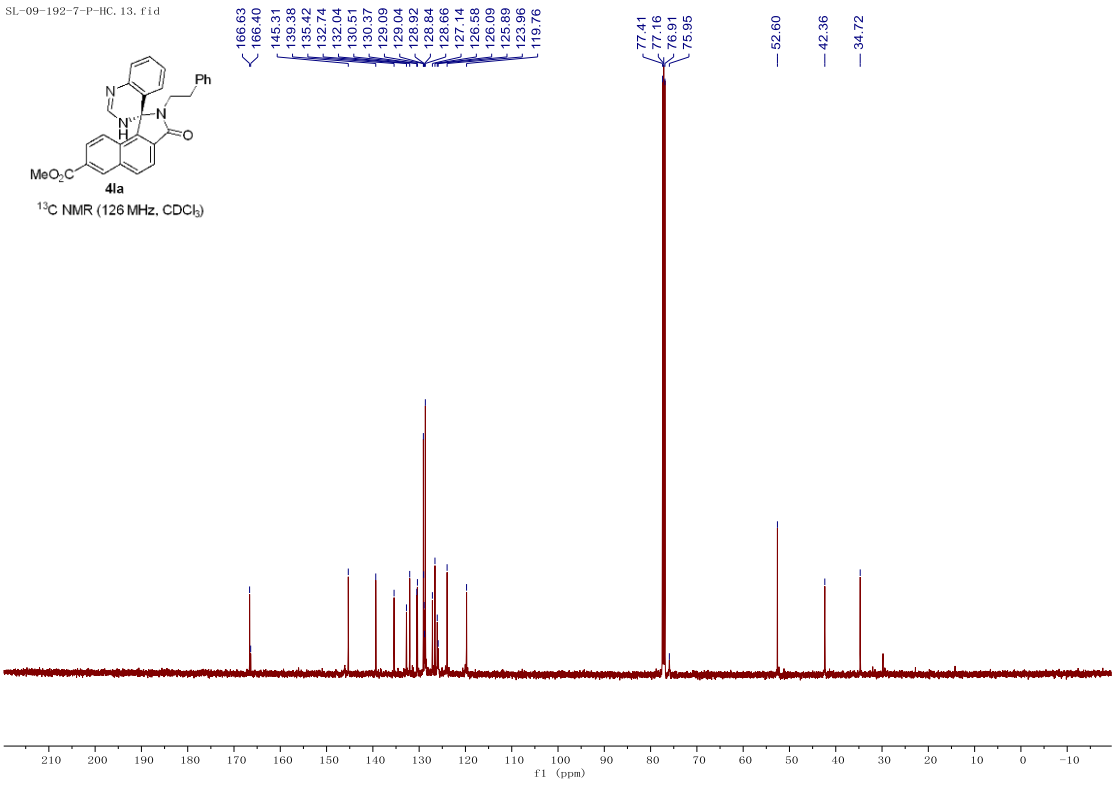
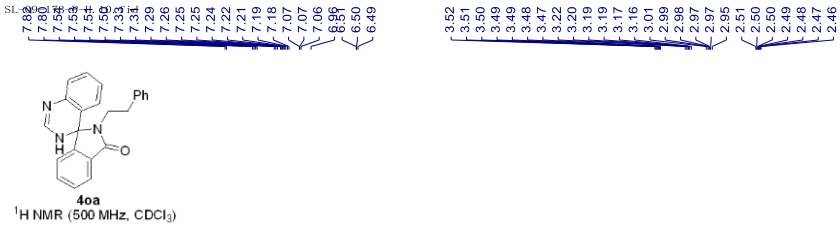
144.98
139.53
135.29
135.15
131.90
131.39
130.60
129.21
128.75
128.49
128.36
126.73
126.33
125.88
125.11
121.09
119.71
118.49
111.35
77.42
77.16
76.91
76.00
42.61
34.55
Ph
N
N
H
O
NC
4ka
13C NMR (126 MHz, CDCl3)
f1 (ppm)

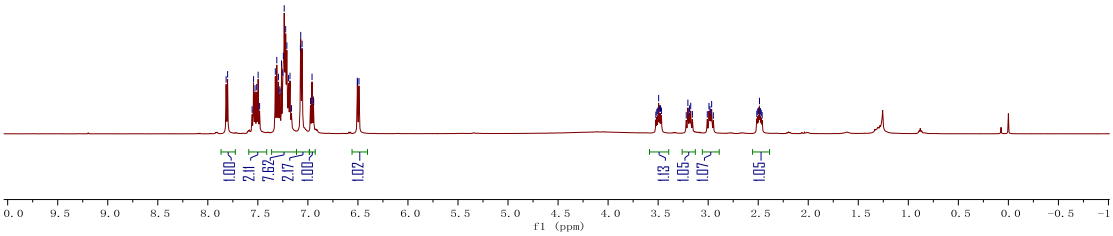

SL-09-192-7-H-P-2024
Ph
MeO2C
4la
1H NMR (500 MHz, CDCl3)
f1 (ppm)

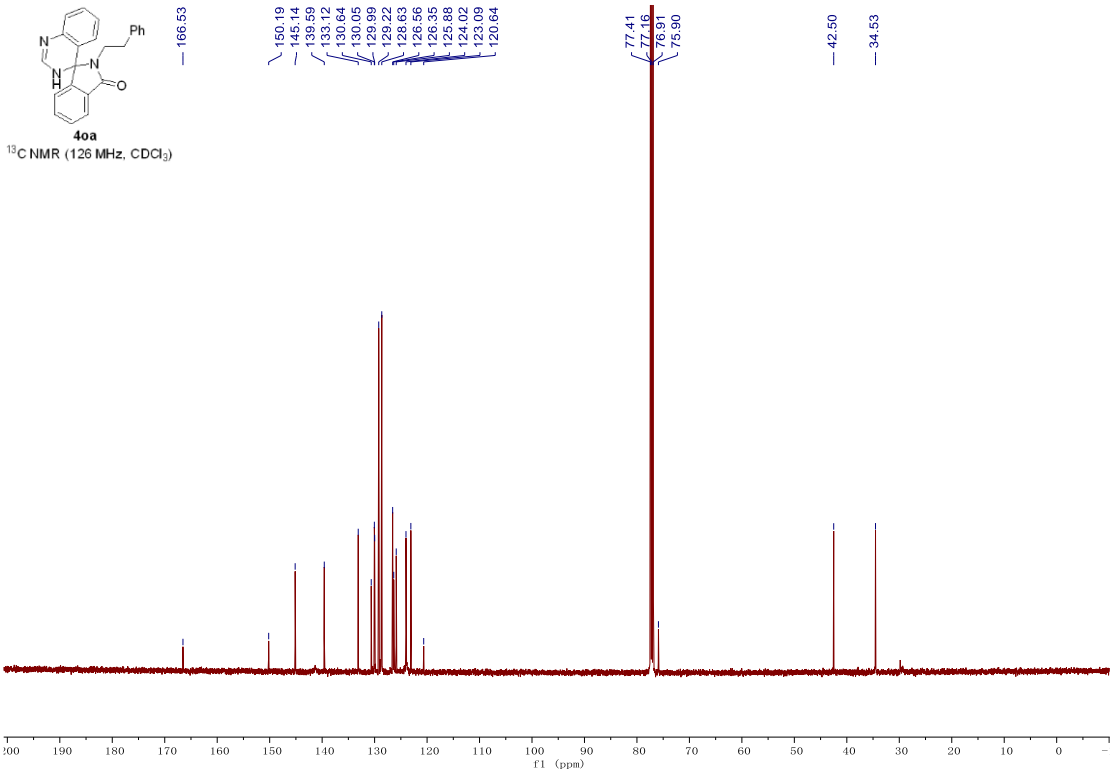

SL-09-192-7-P-HC.13.fid
Ph
MeO2C
4la
13C NMR (126 MHz, CDCl3)
f1 (ppm)



4oa

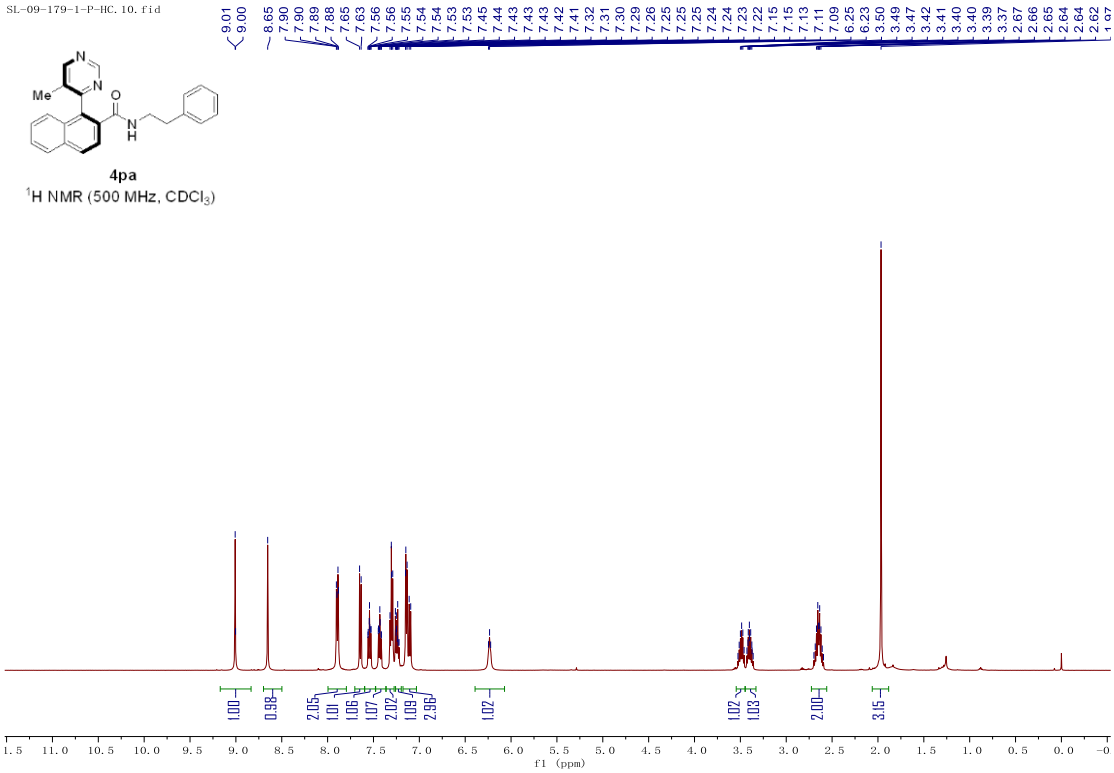
^{1}H NMR (500 MHz, $CDCl_3$)

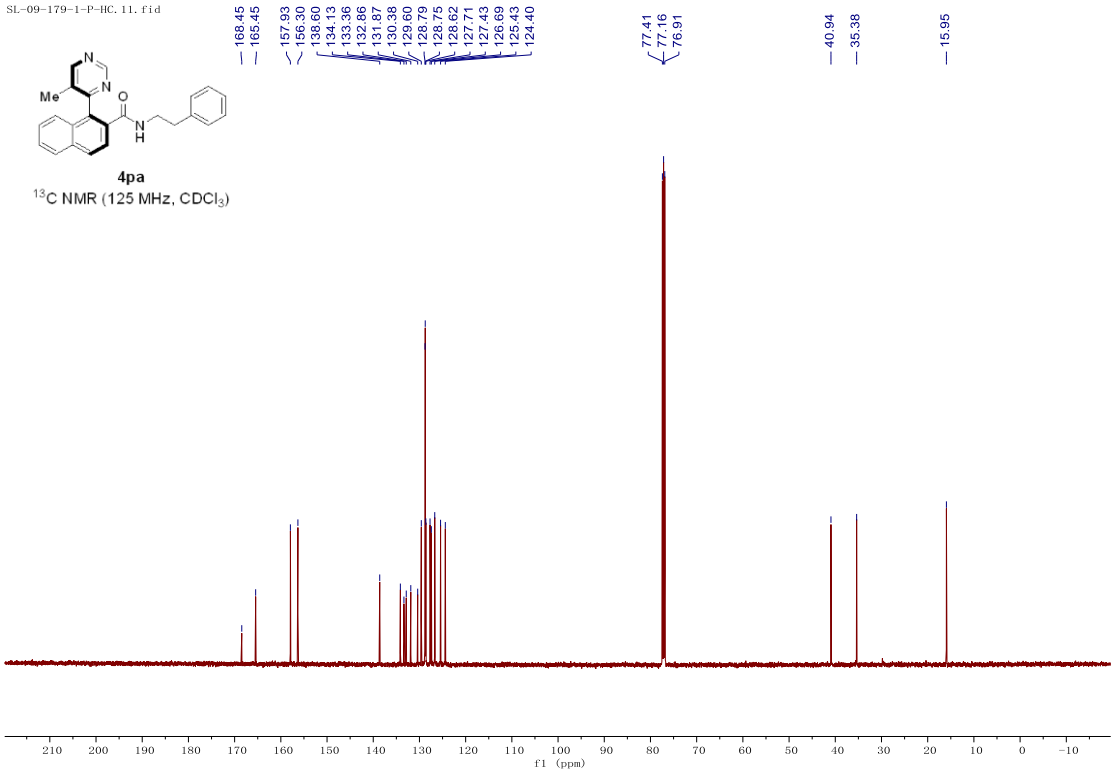


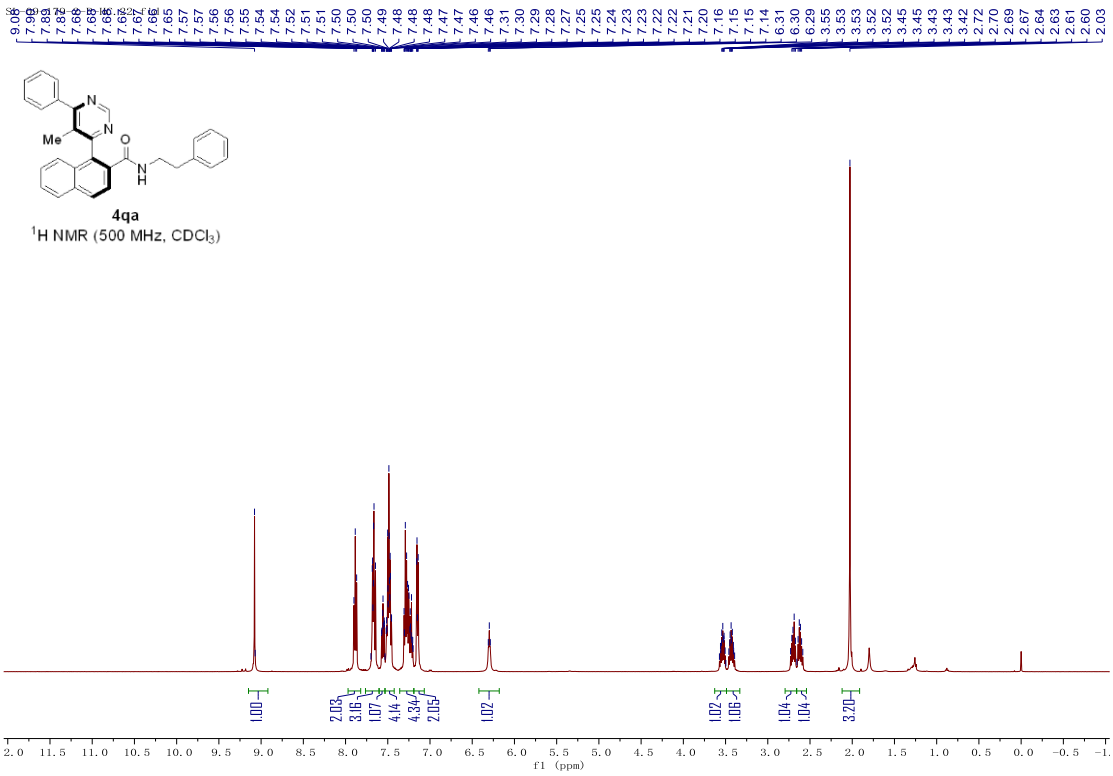


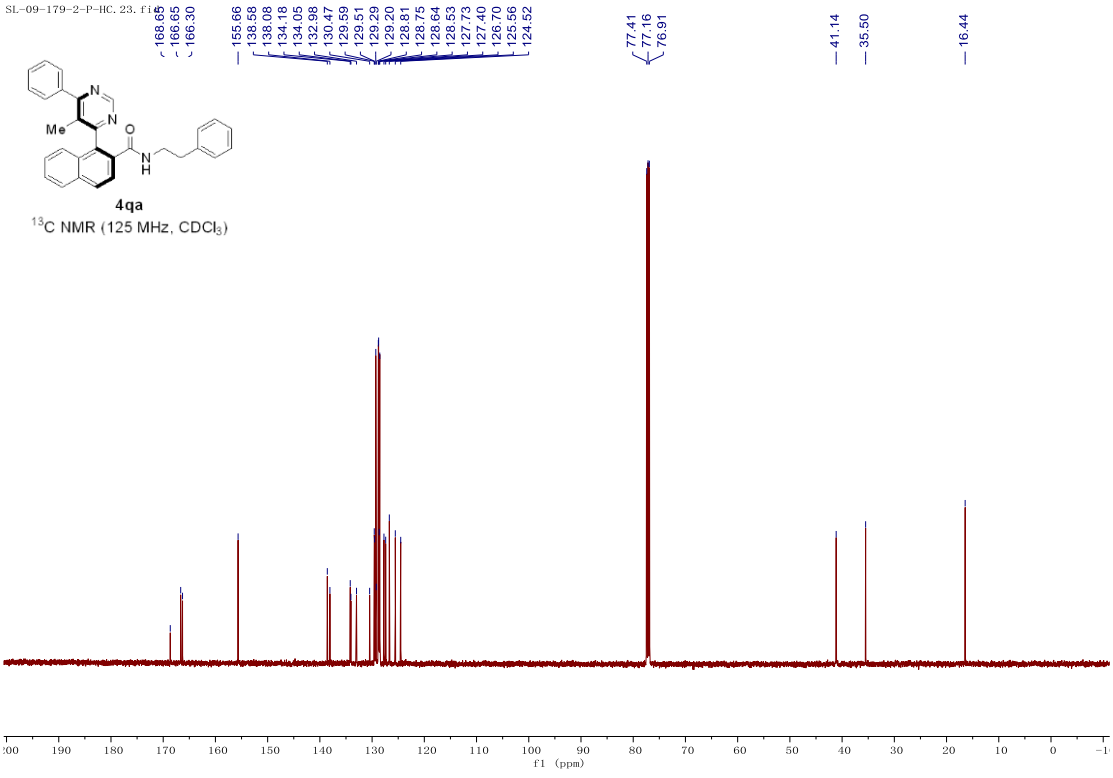
4oa

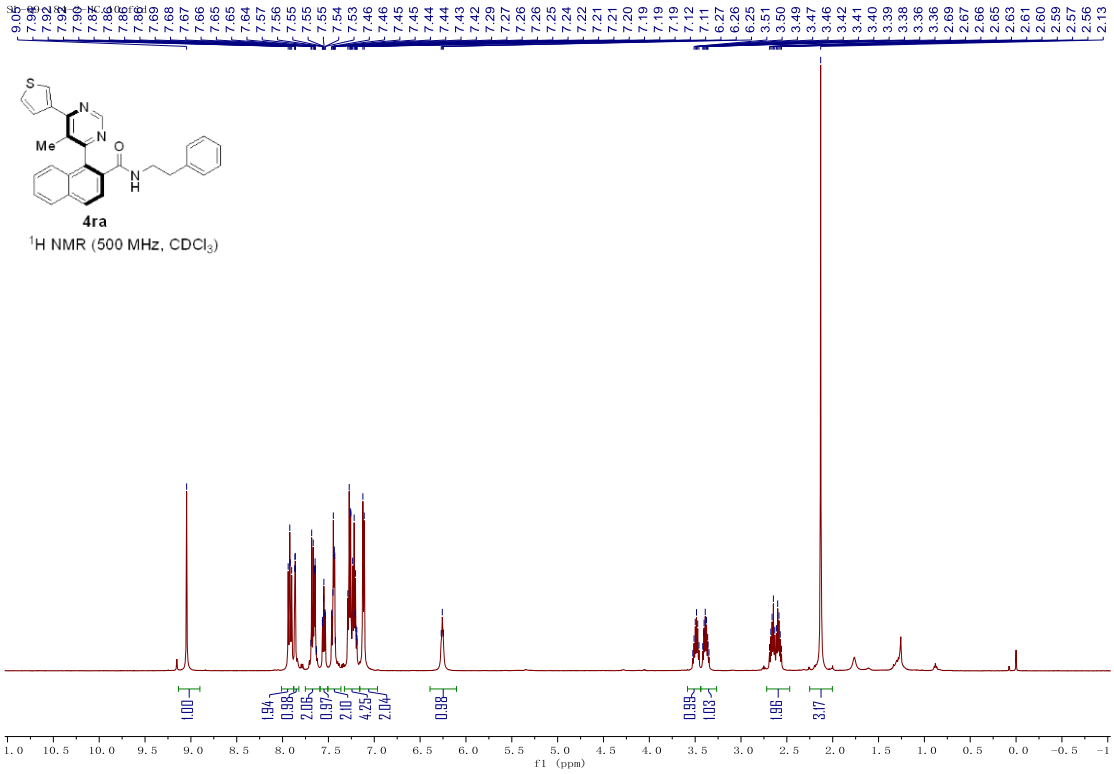
^{13}C NMR (126 MHz, $CDCl_3$)

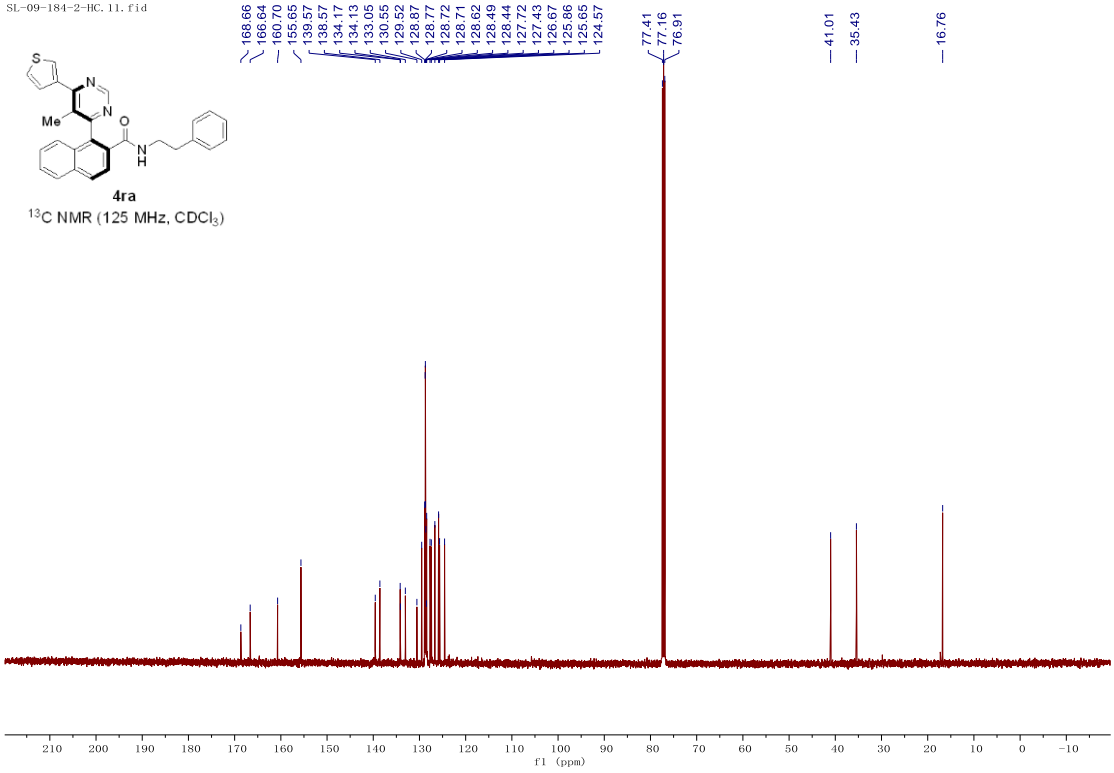

SL-09-179-1-P-HC.10.fid
Me
N
O
N
H
4pa
^{1}H NMR (500 MHz, $CDCl_3$)
f1 (ppm)

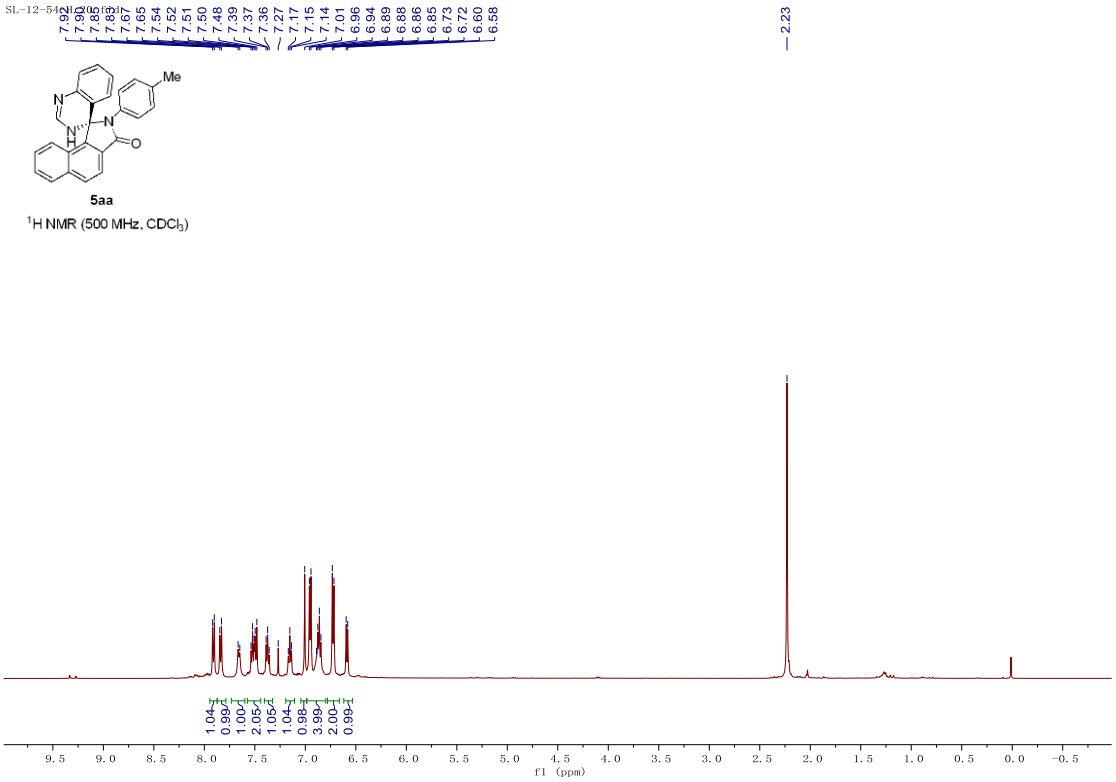

SL-09-179-1-P-HC.11.fid
Me
N
O
N
H
4pa
^{13}C NMR (125 MHz, $CDCl_3$)
f1 (ppm)


4qa
^{1}H NMR (500 MHz, CDCl$_3$)
f1 (ppm)


4qa
^{13}C NMR (125 MHz, CDCl$_3$)
f1 (ppm)

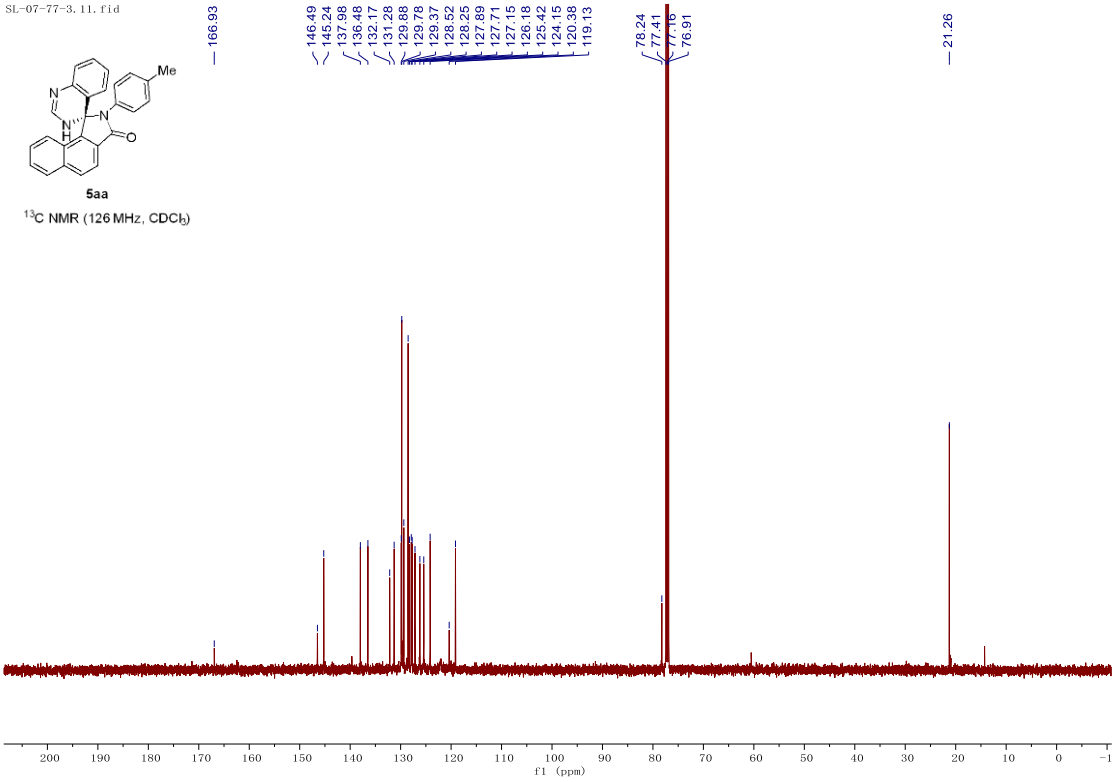

4ra
^{1}H NMR (500 MHz, CDCl$_3$)
f1 (ppm)


SL-09-184-2-HC.11.fid
4ra
^{13}C NMR (125 MHz, CDCl$_3$)
f1 (ppm)



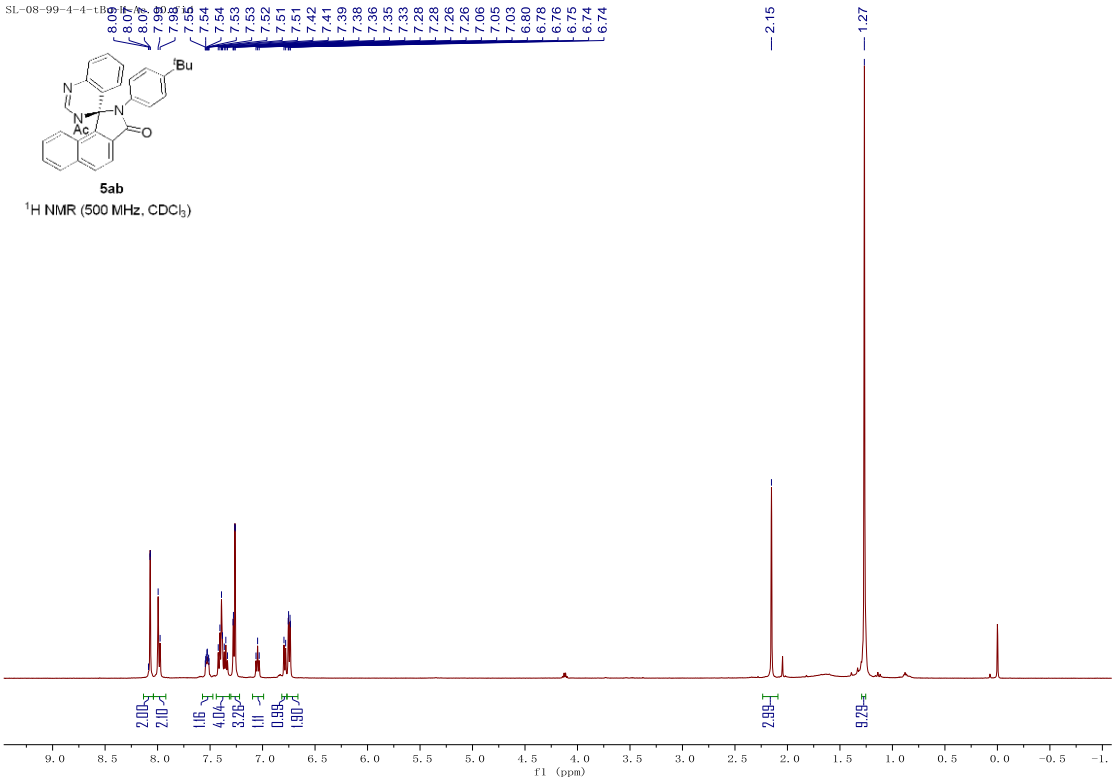
5aa

^{1}H NMR (500 MHz, $CDCl_3$)



5aa

^{13}C NMR (126 MHz, $CDCl_3$)


SL-08-99-4-4-tBu-1.fid
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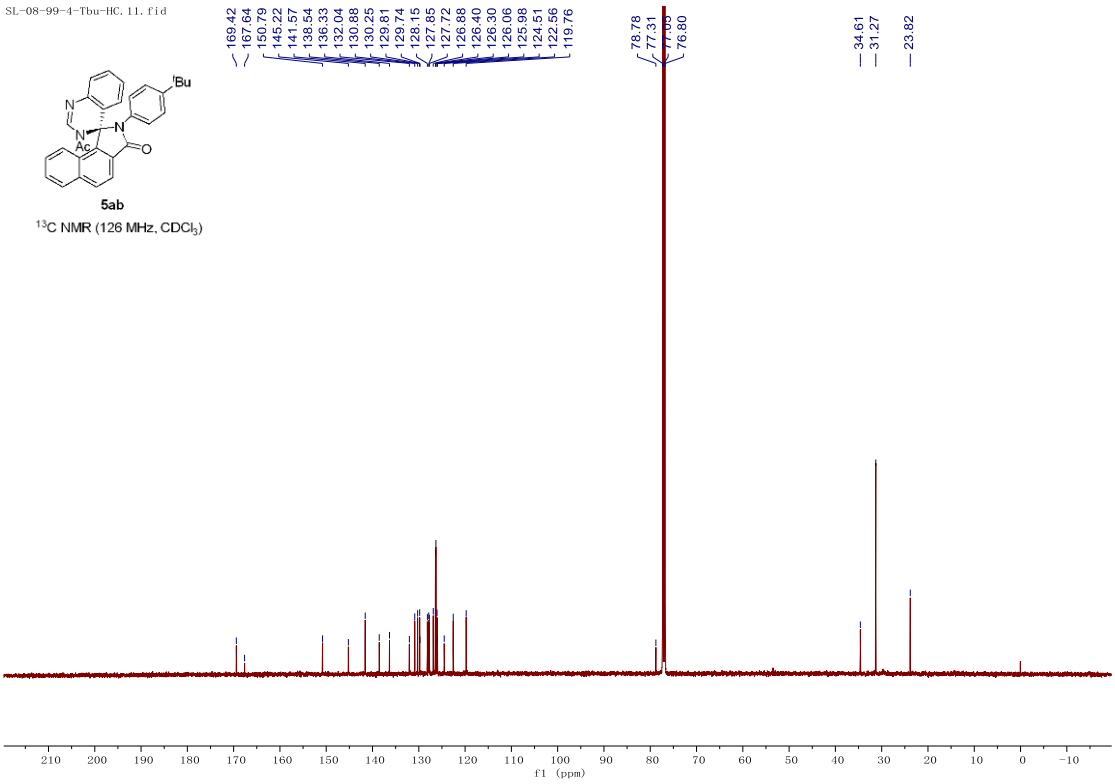
8.07
7.99
7.98
7.55
7.54
7.54
7.53
7.53
7.52
7.51
7.51
7.42
7.41
7.39
7.38
7.36
7.35
7.33
7.28
7.28
7.26
7.26
7.06
7.05
7.03
6.80
6.78
6.76
6.75
6.74
6.74
2.15
1.27
tBu
N
N
Ac
O
5ab
1H NMR (500 MHz, CDCl3)
2.00
2.10
1.16
4.04
3.28
1.11
0.99
1.90
2.89
9.29
f1 (ppm)


SL-08-99-4-Tbu-HC.11.fid
169.42
167.64
150.79
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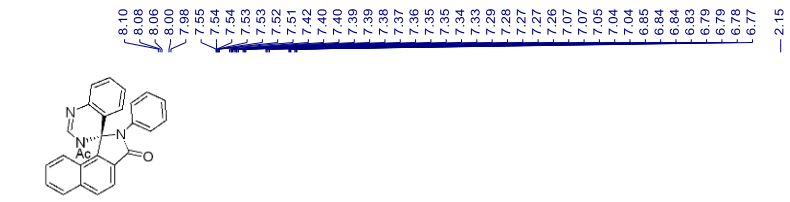
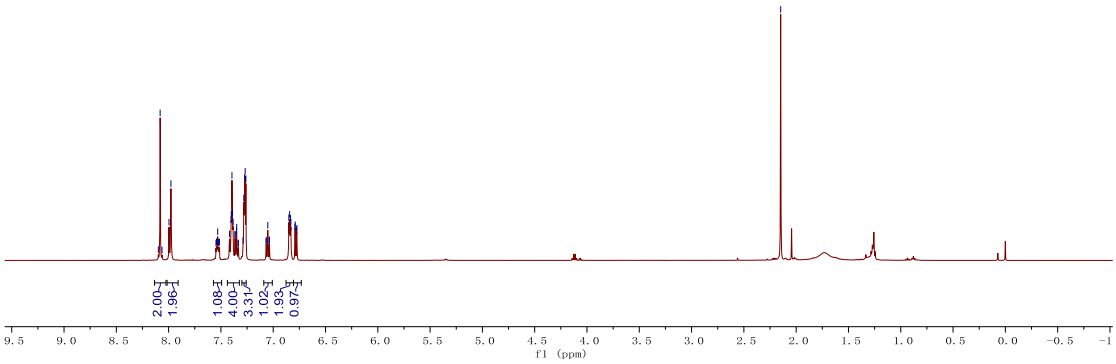
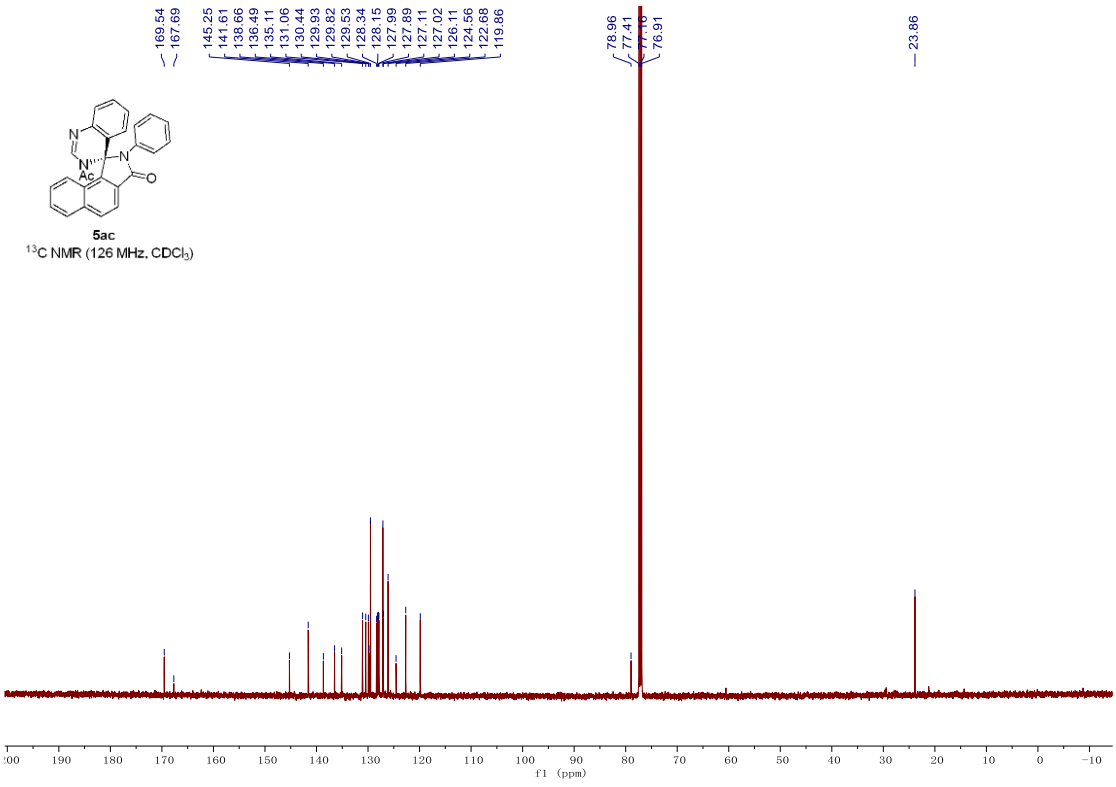
141.57
138.54
136.33
132.04
130.88
130.25
129.81
129.74
128.15
127.85
127.72
126.88
126.40
126.30
126.06
125.98
124.51
122.56
119.76
78.78
77.31
77.05
76.80
34.61
31.27
23.82
tBu
N
N
Ac
O
5ab
13C NMR (126 MHz, CDCl3)
f1 (ppm)



5ac

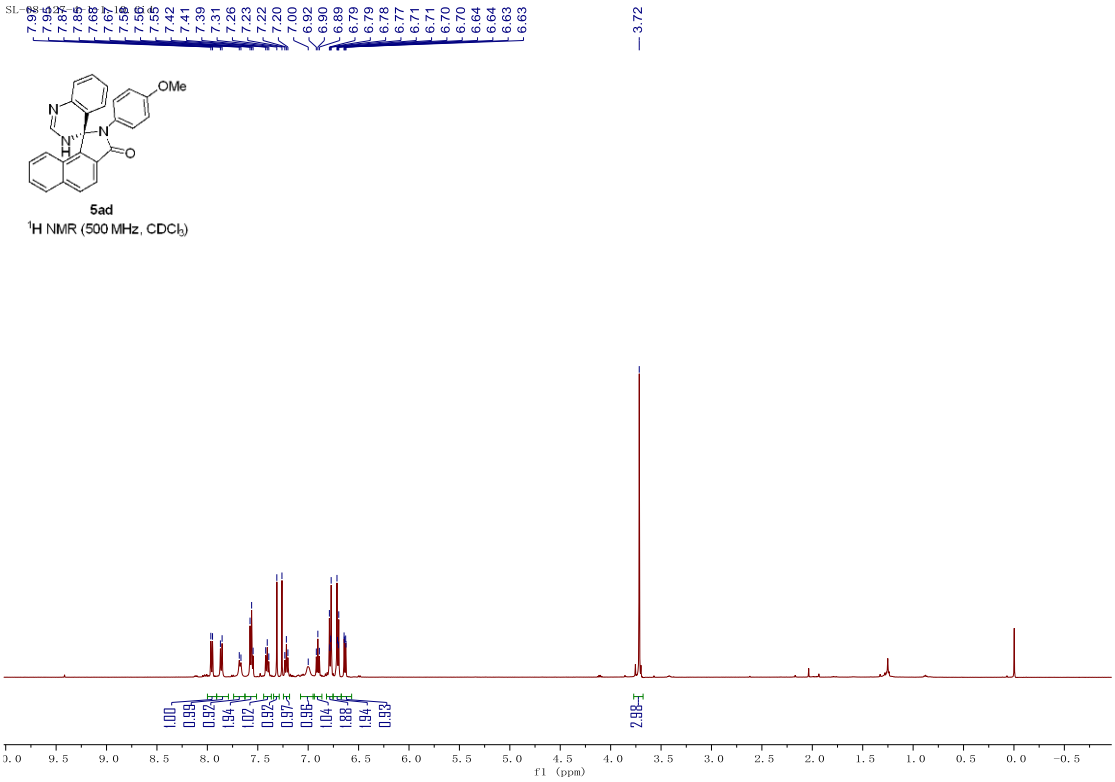
^{1}H NMR (500 MHz, $CDCl_3$)





5ac

^{13}C NMR (126 MHz, $CDCl_3$)


7.98
7.95
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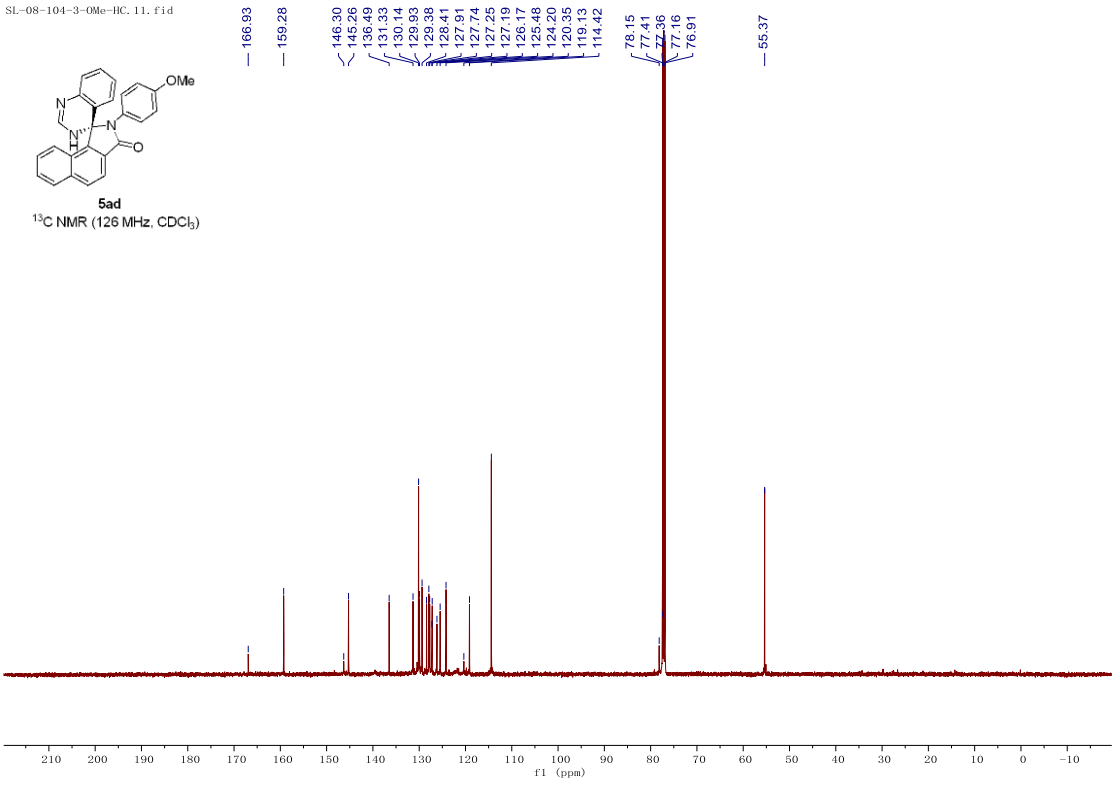
7.85
7.68
7.67
7.56
7.55
7.42
7.41
7.39
7.31
7.26
7.23
7.22
7.20
7.00
6.92
6.90
6.89
6.79
6.79
6.78
6.77
6.71
6.71
6.70
6.70
6.64
6.64
6.63
6.63
3.72
OMe
N
N
H
O
5ad
1H NMR (500 MHz, CDCl3)
1.00
0.99
0.92
1.94
1.02
0.92
0.97
0.96
1.04
1.88
1.94
0.93
2.98
f1 (ppm)


SL-08-104-3-OMe-HC.11.fid
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159.28
146.30
145.26
136.49
131.33
130.14
129.93
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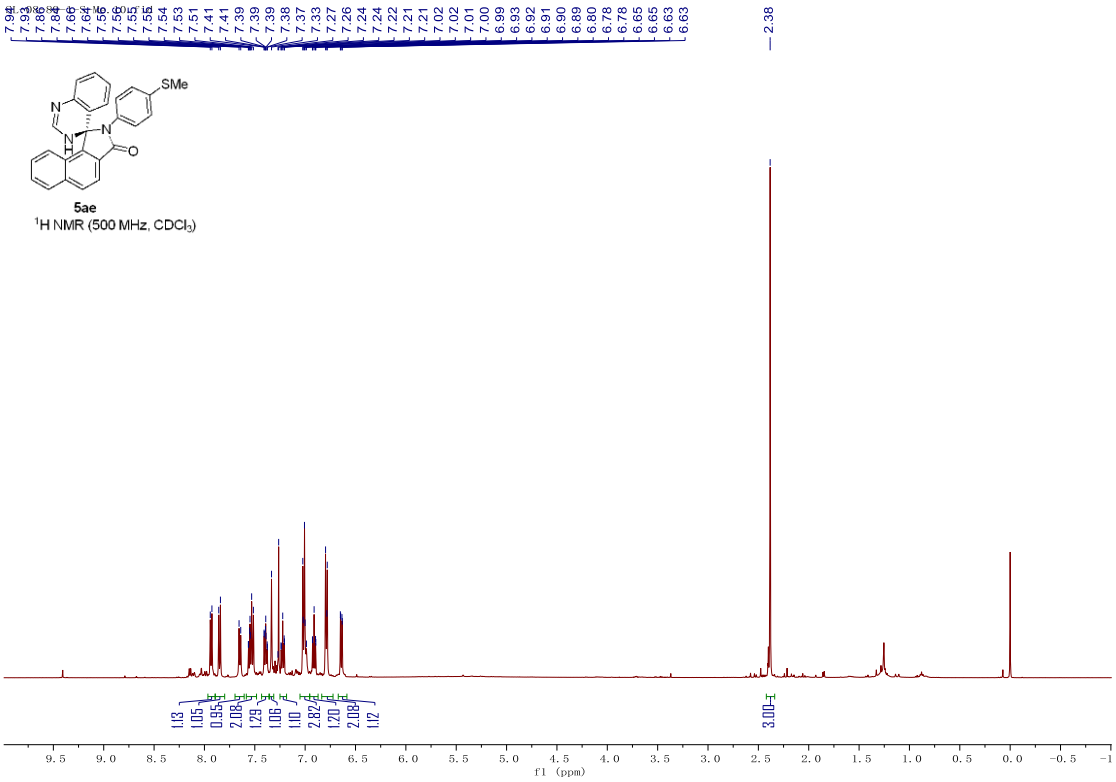
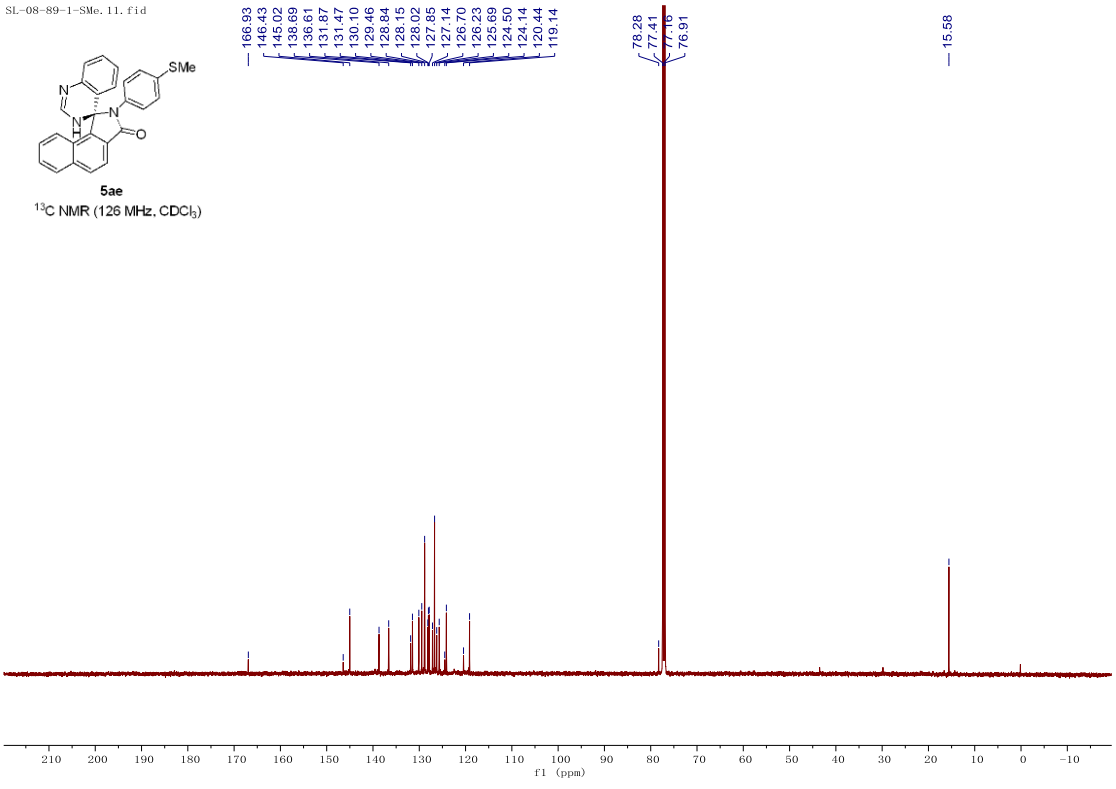
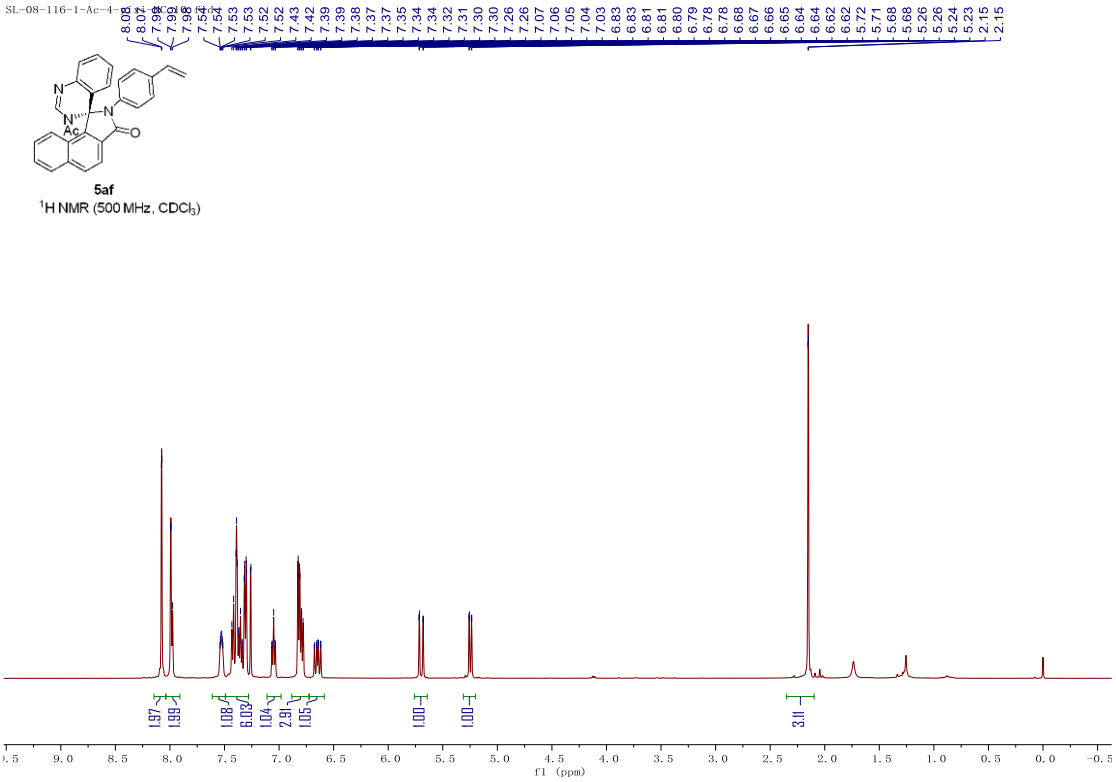
127.91
127.74
127.25
127.19
126.17
125.48
124.20
120.35
119.13
114.42
78.15
77.41
77.36
77.16
76.91
55.37
OMe
N
N
H
O
5ad
13C NMR (126 MHz, CDCl3)
f1 (ppm)

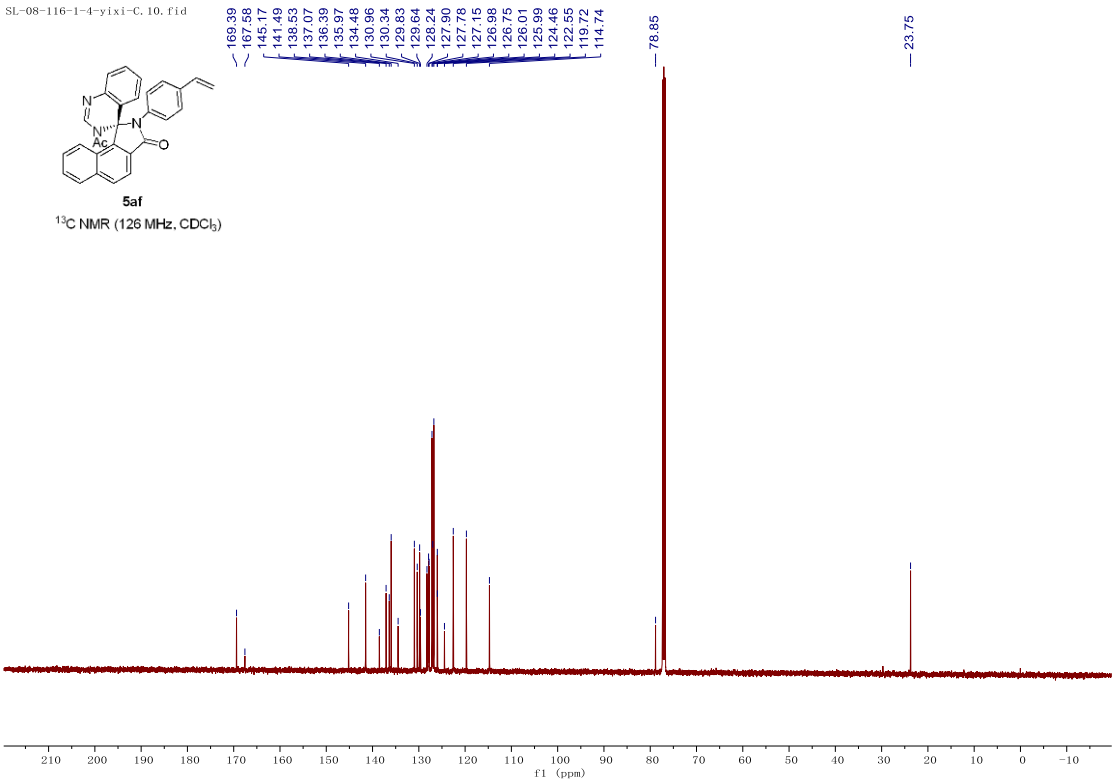

SMe
5ae
1H NMR (500 MHz, CDCl3)
f1 (ppm)


SL-08-89-1-SMe.11.fid
SMe
5ae
13C NMR (126 MHz, CDCl3)
f1 (ppm)



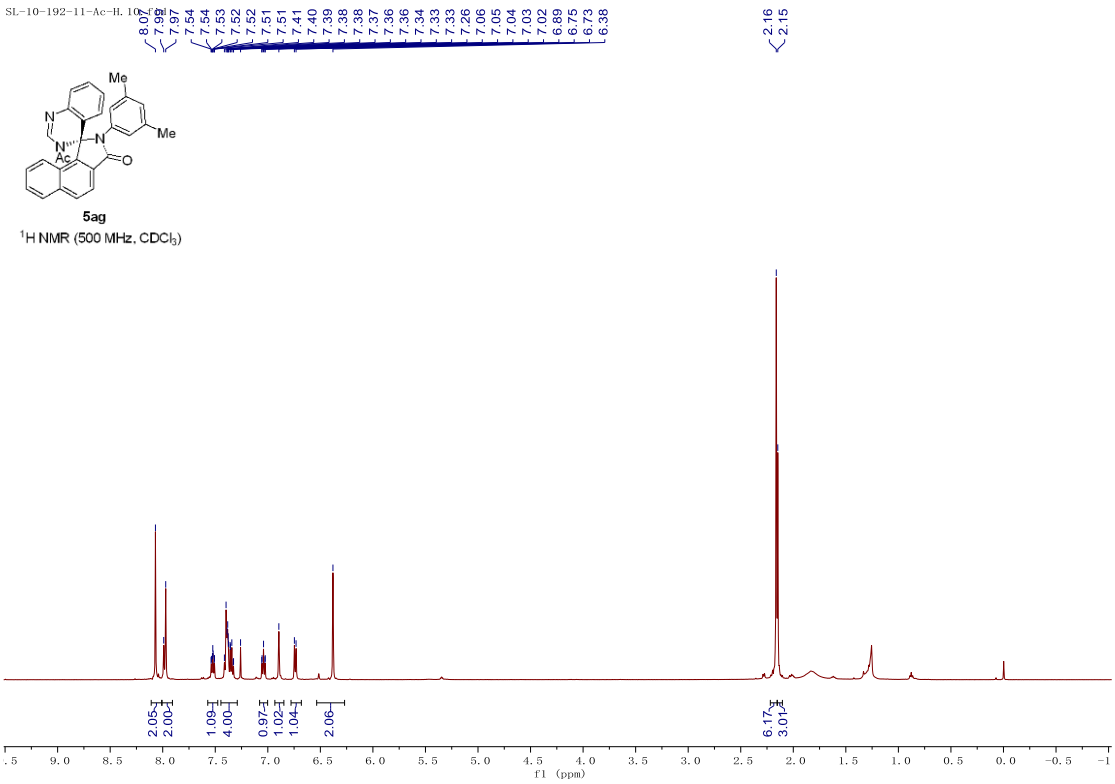
5af

^{1}H NMR (500 MHz, $CDCl_3$)



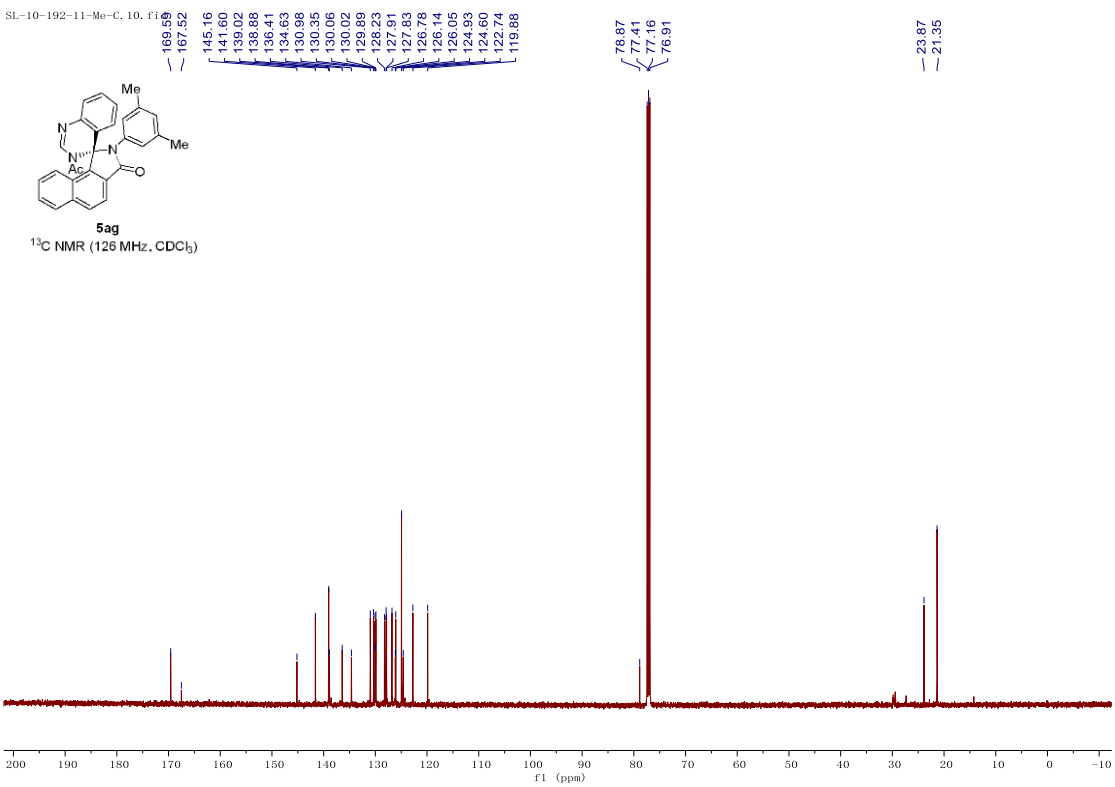
5af

^{13}C NMR (126 MHz, $CDCl_3$)



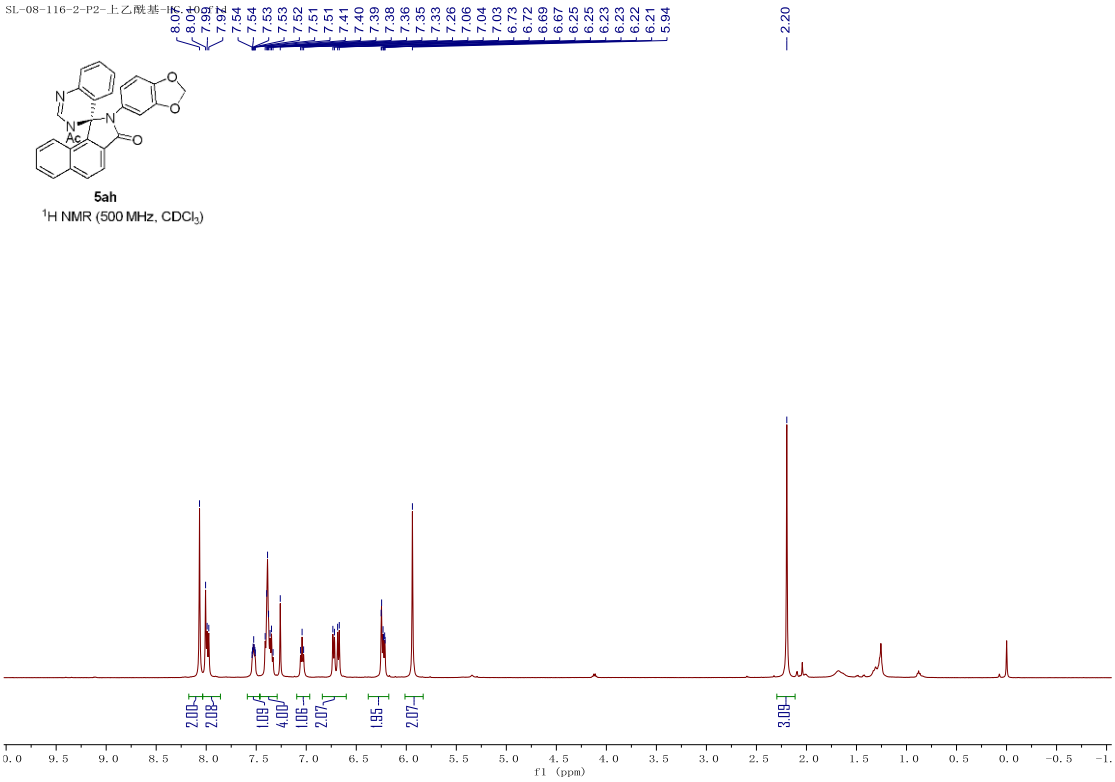
5ag

^{1}H NMR (500 MHz, $CDCl_3$)



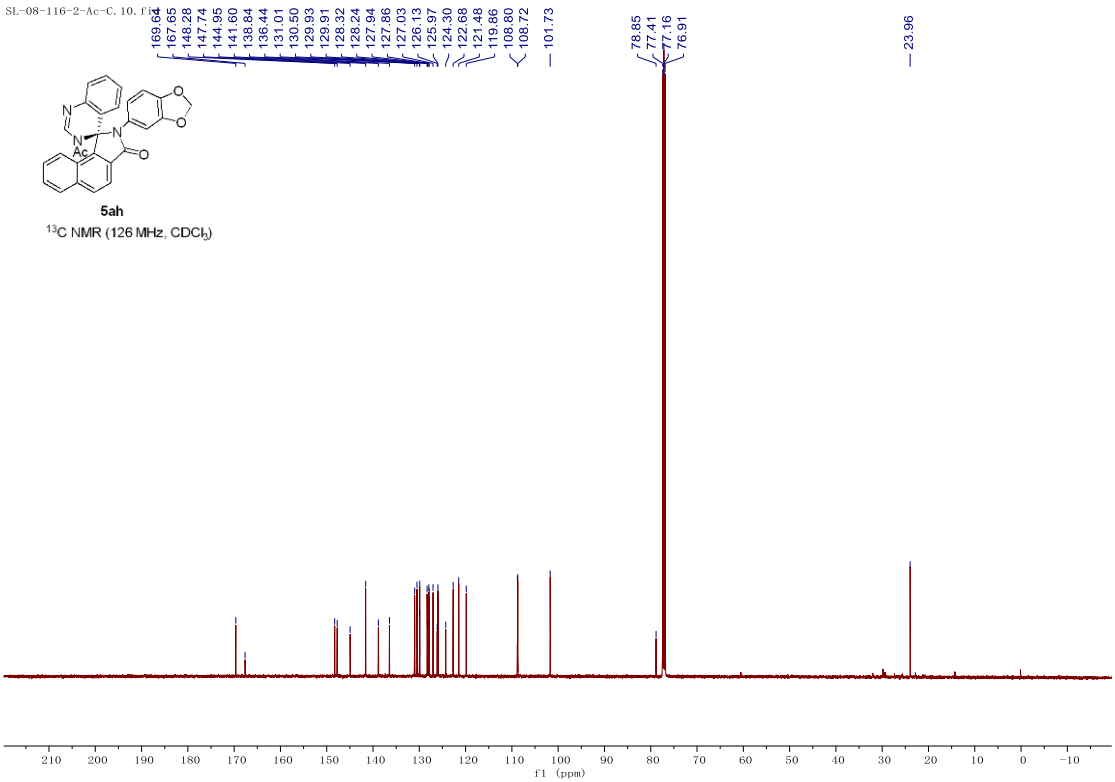
5ag

^{13}C NMR (126 MHz, $CDCl_3$)



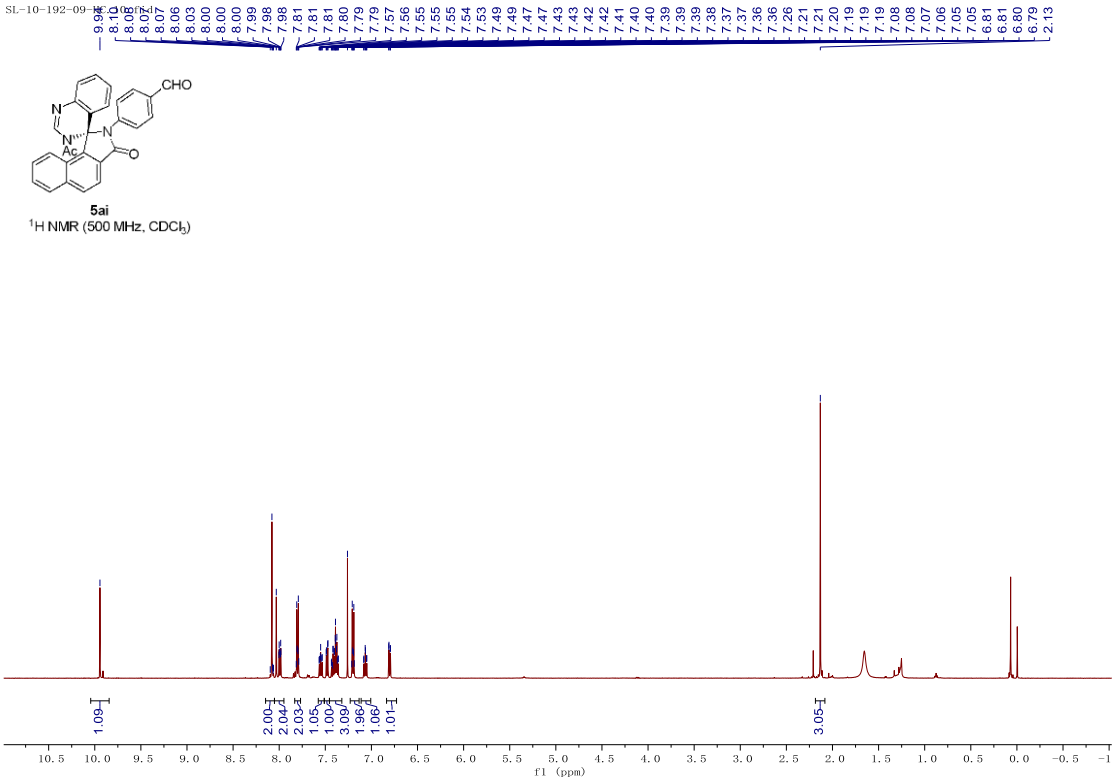
5ah

^{1}H NMR (500 MHz, $CDCl_3$)



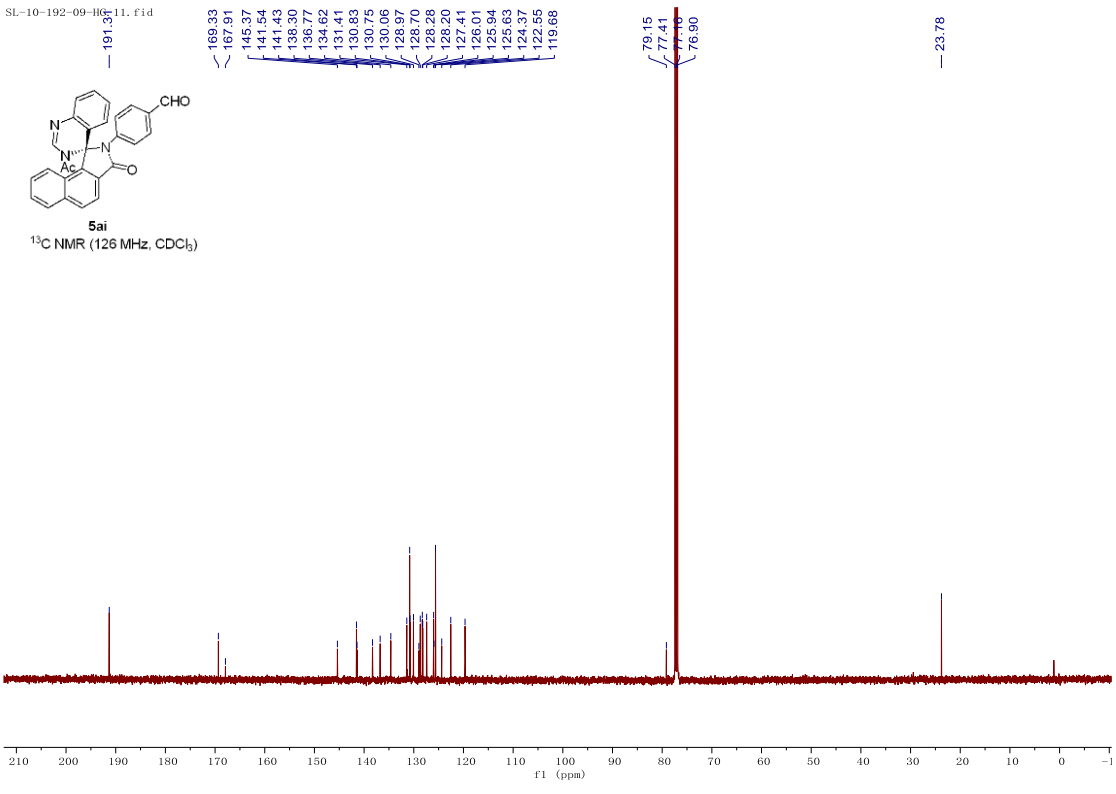
5ah

^{13}C NMR (126 MHz, $CDCl_3$)



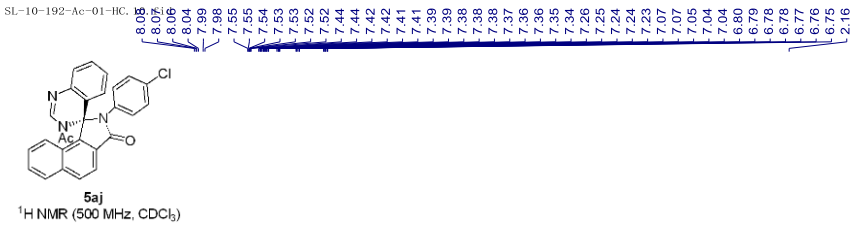
5ai

^{1}H NMR (500 MHz, $CDCl_3$)



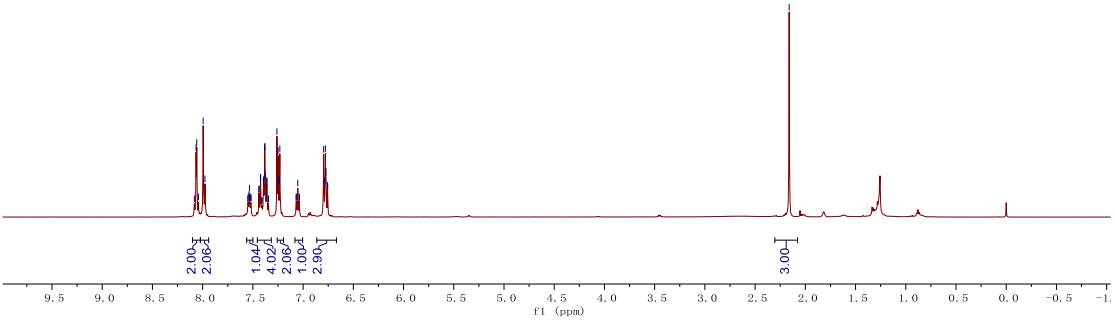
5ai

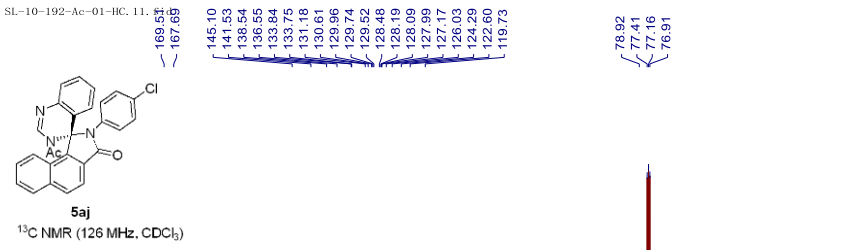
^{13}C NMR (126 MHz, $CDCl_3$)



5aj

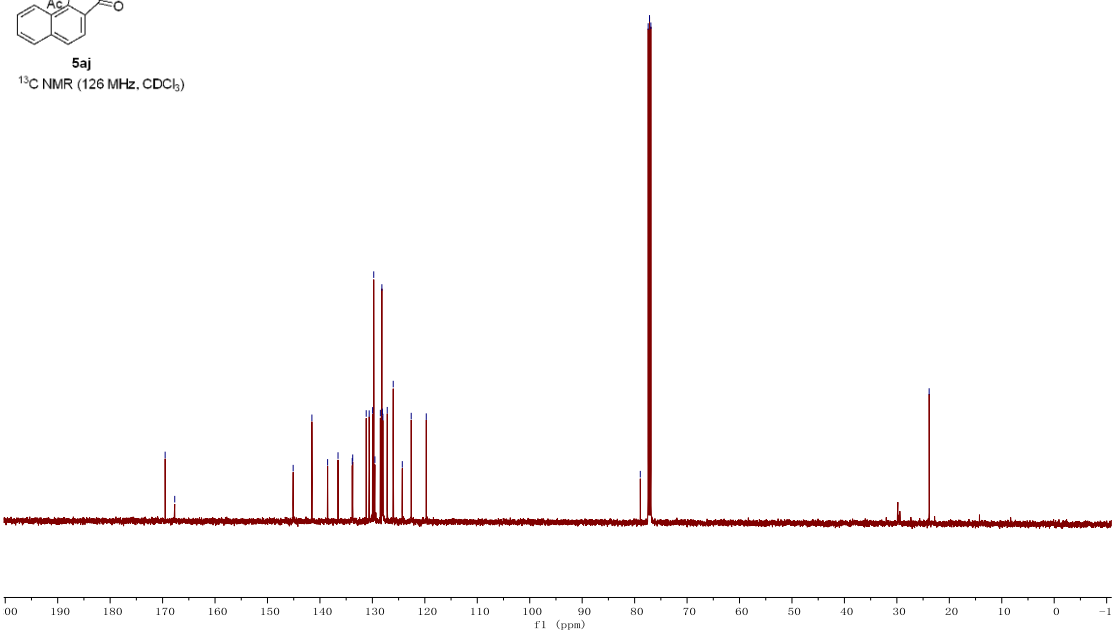
^{1}H NMR (500 MHz, $CDCl_3$)

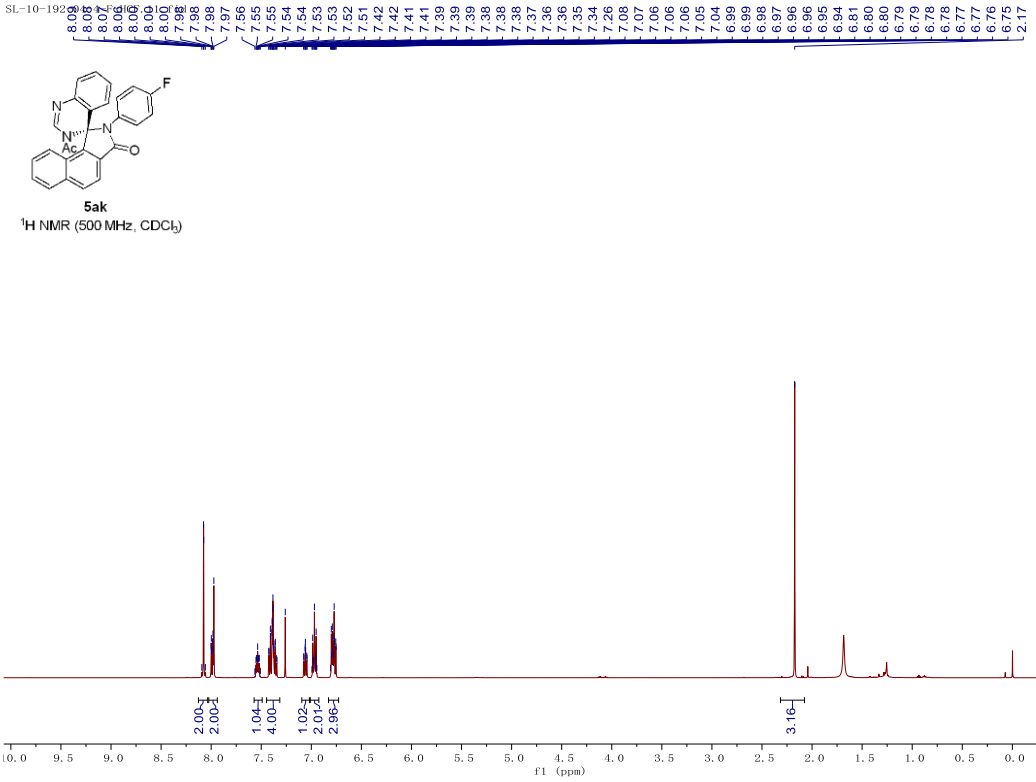




5aj

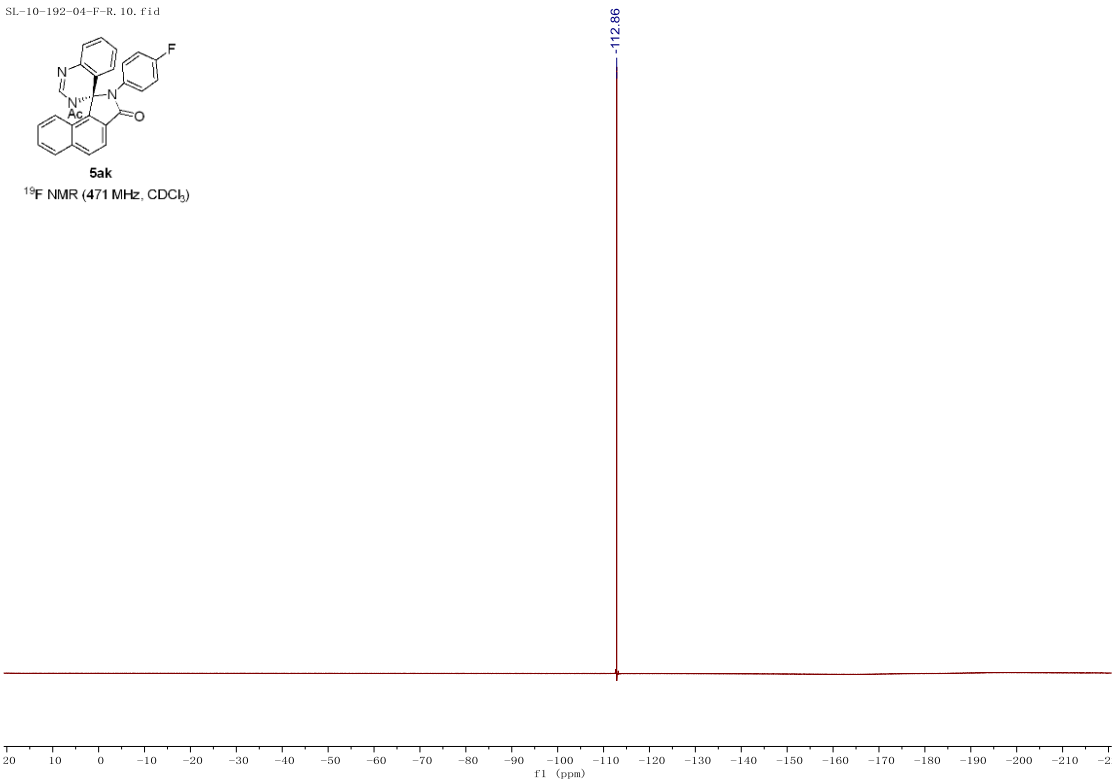
^{13}C NMR (126 MHz, $CDCl_3$)





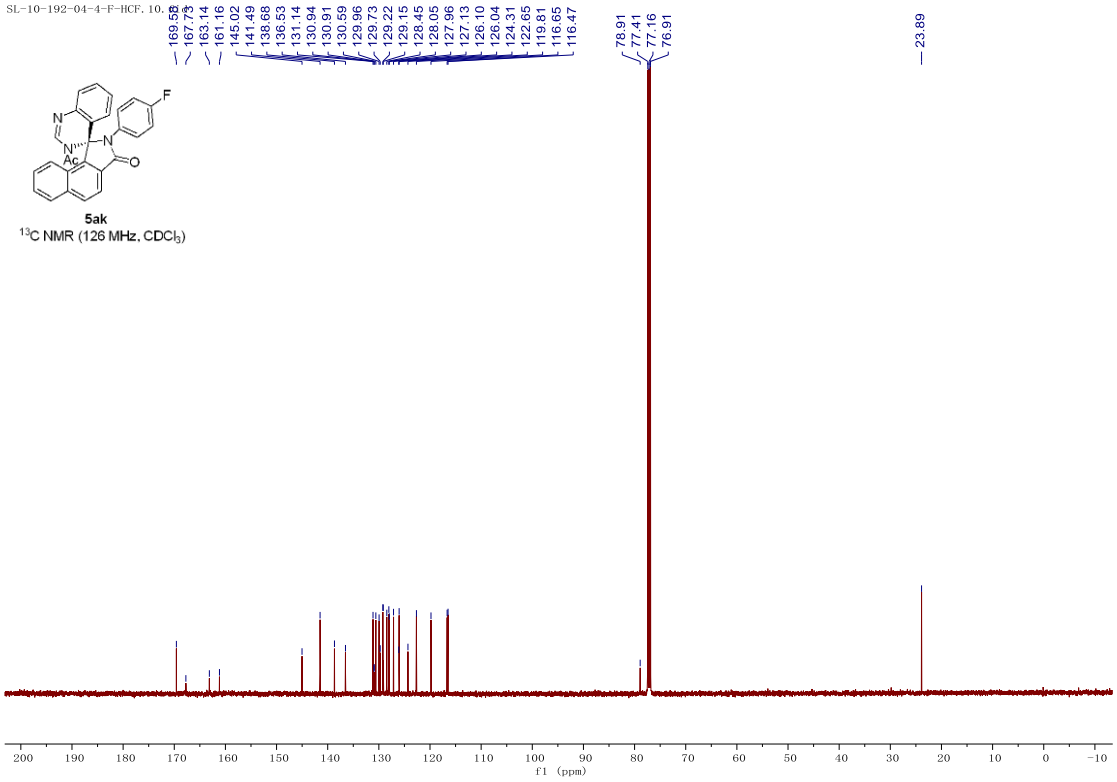
5ak

^{1}H NMR (500 MHz, $CDCl_3$)



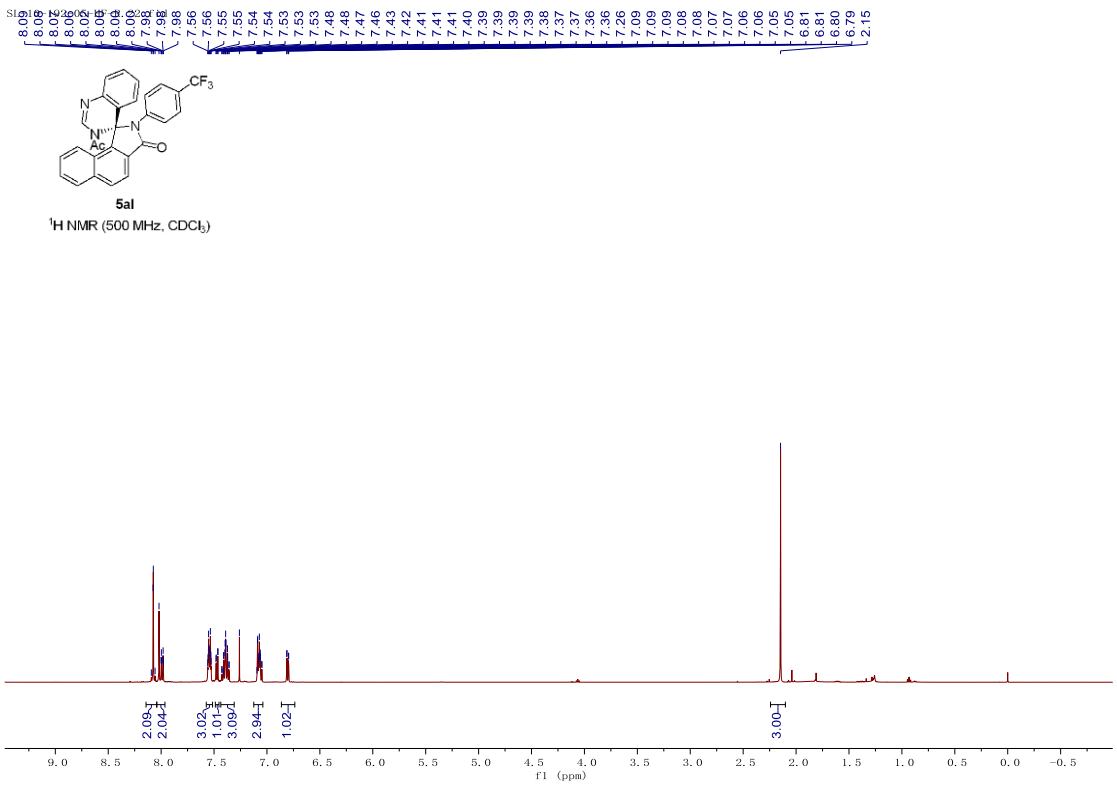
5ak

^{19}F NMR (471 MHz, $CDCl_3$)



5ak

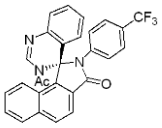
^{13}C NMR (126 MHz, $CDCl_3$)



5al

^{1}H NMR (500 MHz, $CDCl_3$)

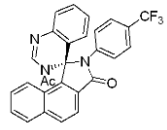
SL-10-192-05-HF-R.23.fid



5al

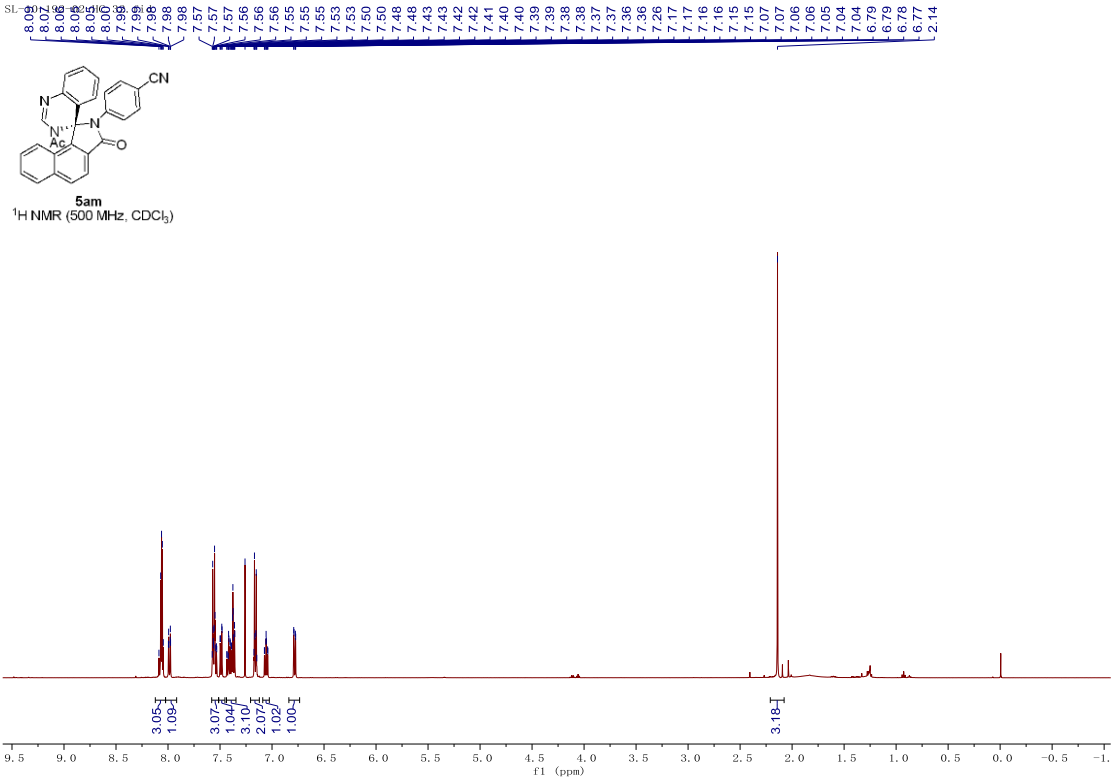
^{19}F NMR (471 MHz, $CDCl_3$)

SL-10-192-05-C.10.fid



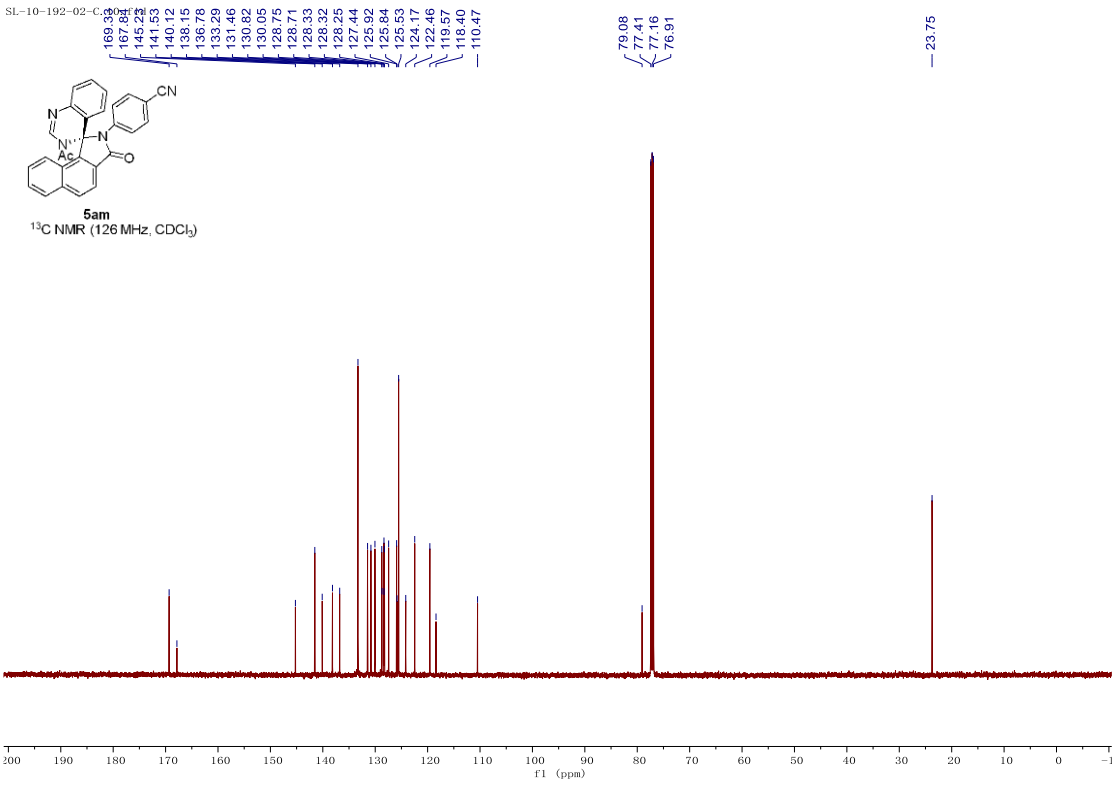
5al

^{13}C NMR (126 MHz, $CDCl_3$)



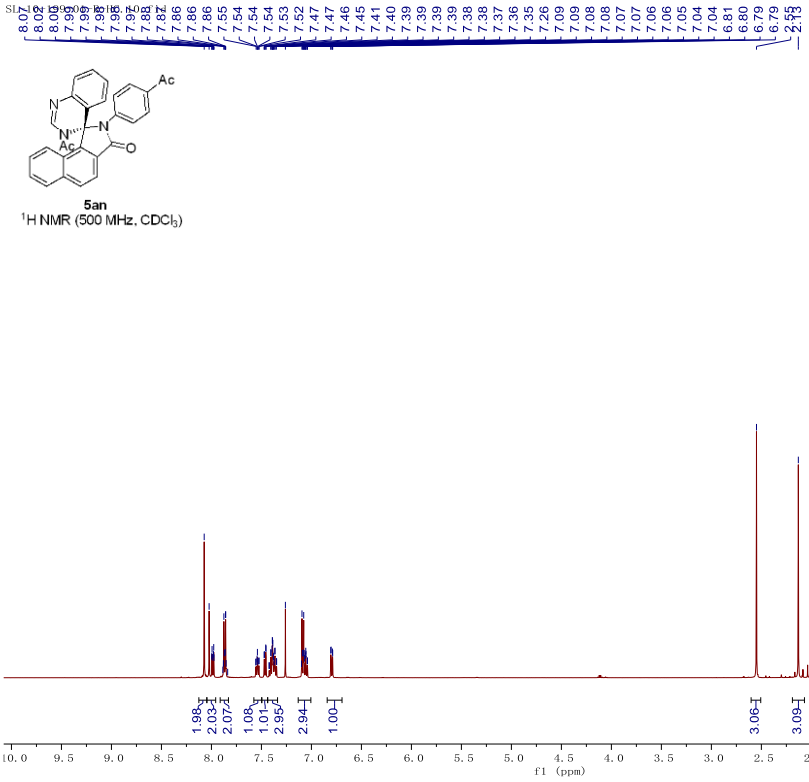
5am

^{1}H NMR (500 MHz, $CDCl_3$)



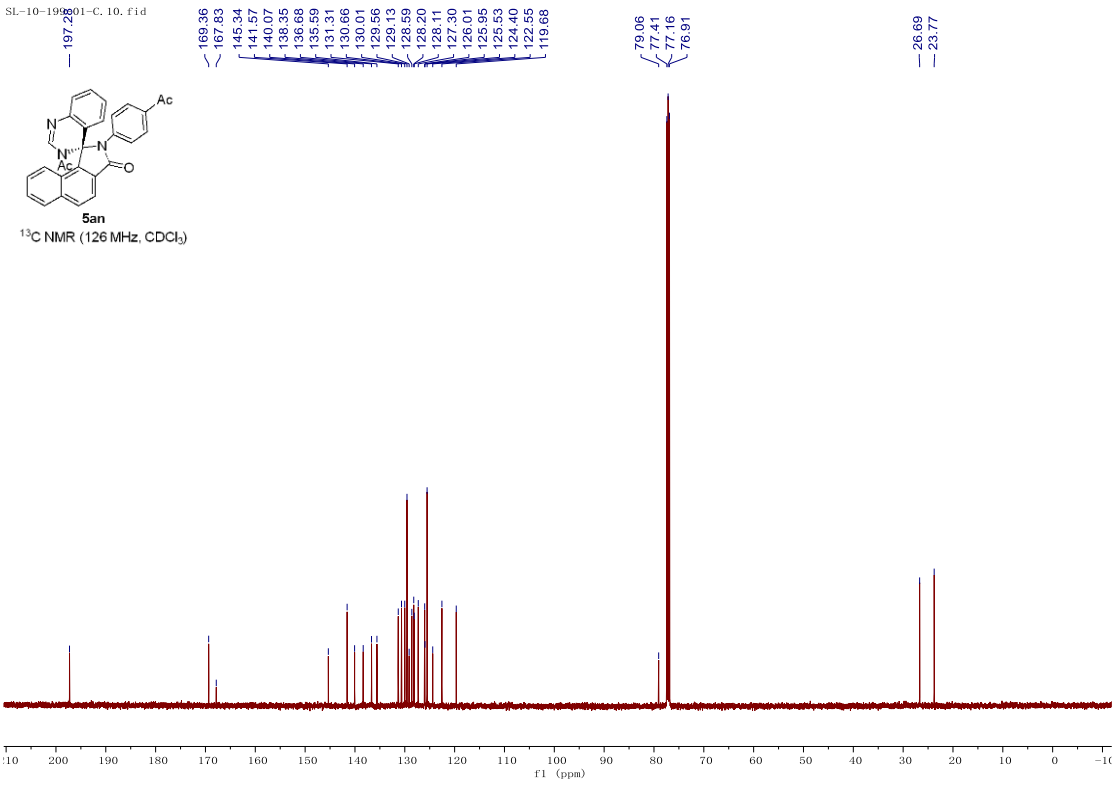
5am

^{13}C NMR (126 MHz, $CDCl_3$)



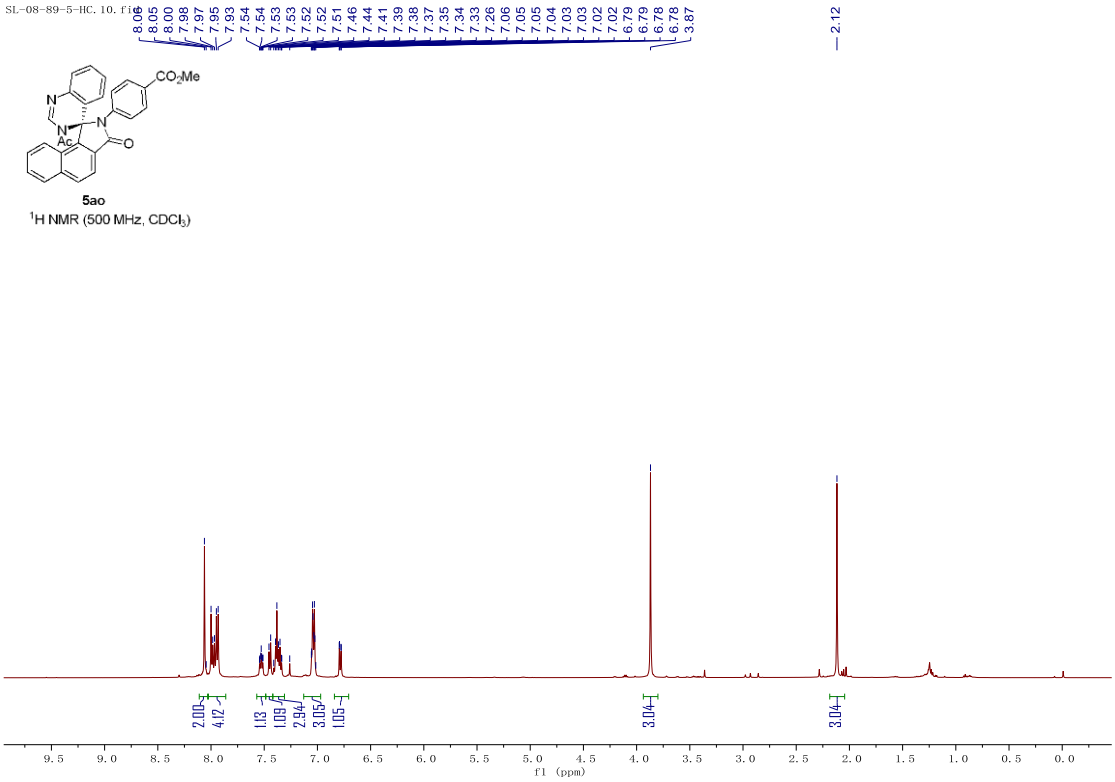
5an

^{1}H NMR (500 MHz, $CDCl_3$)



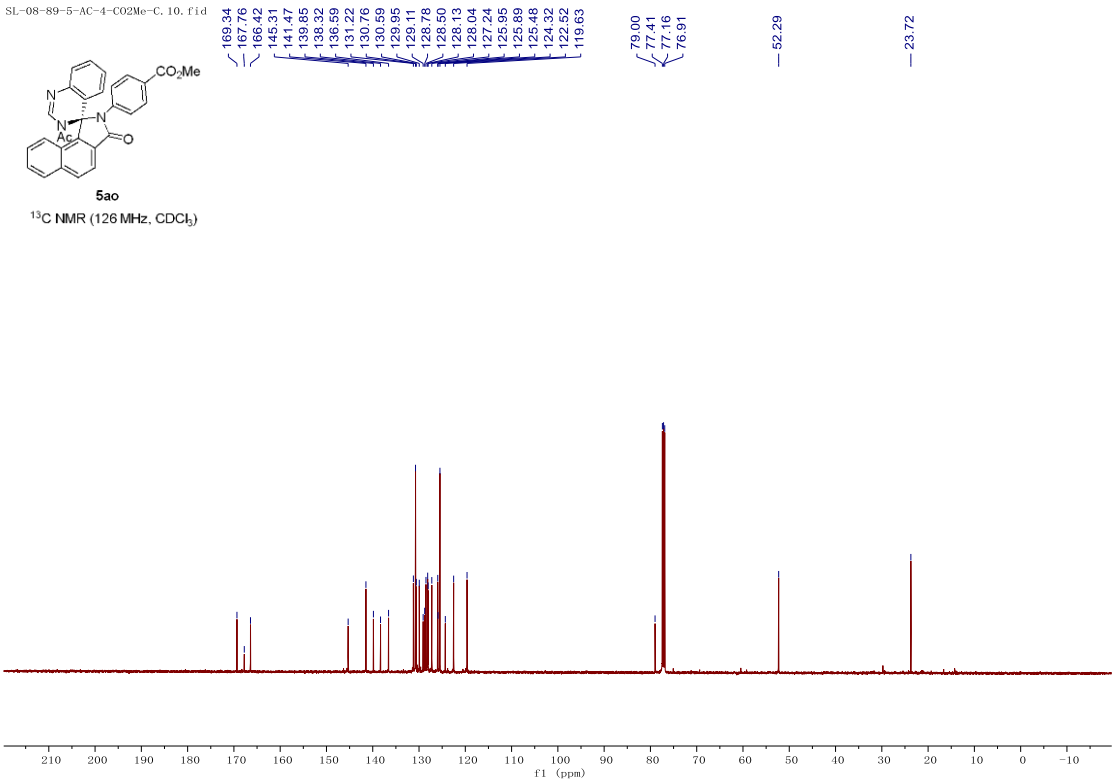
5an

^{13}C NMR (126 MHz, $CDCl_3$)



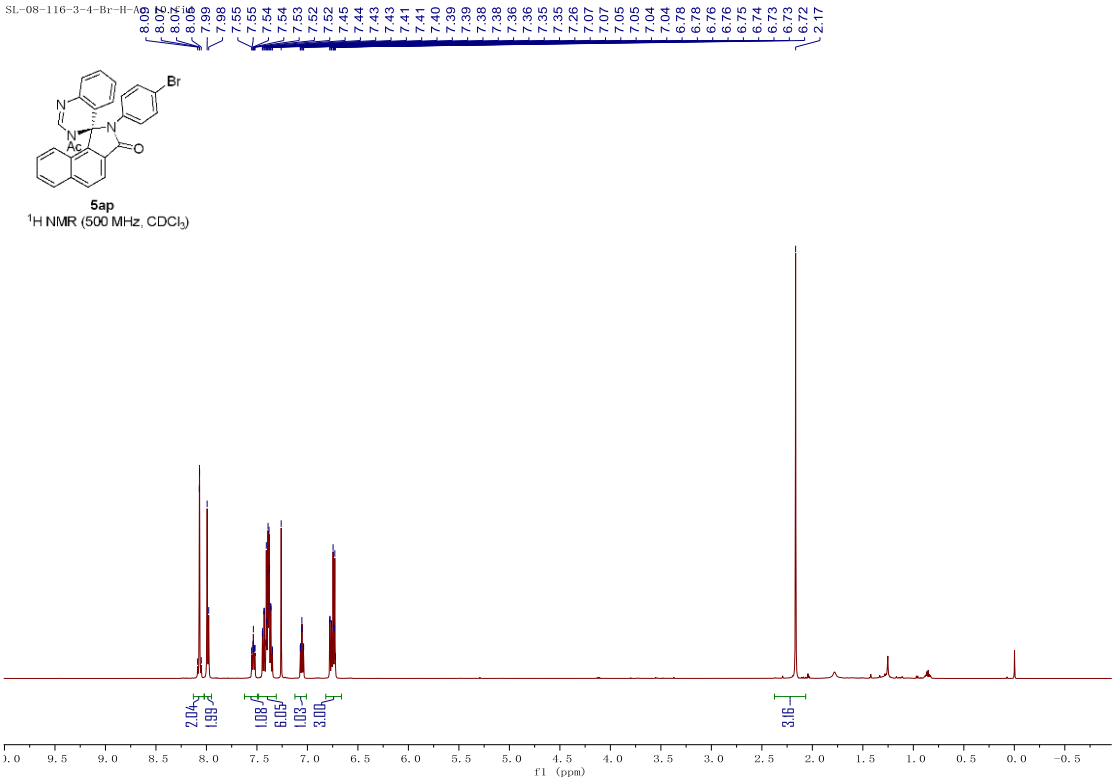
5ao

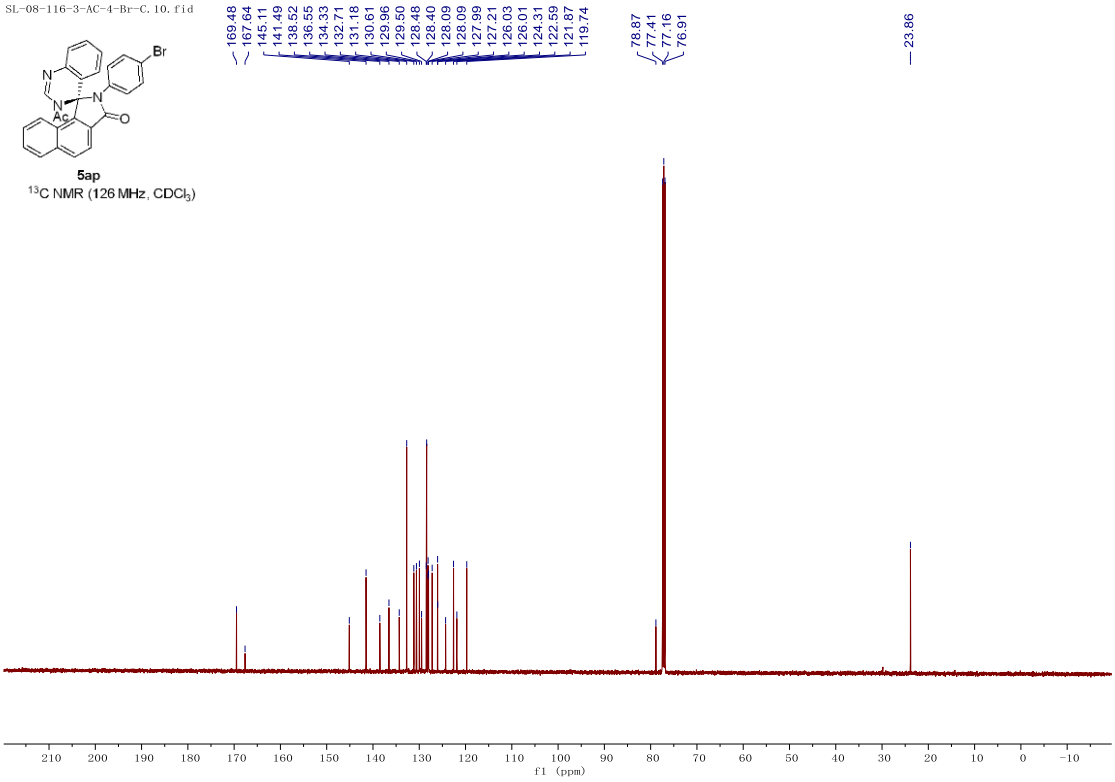
^{1}H NMR (500 MHz, $CDCl_3$)

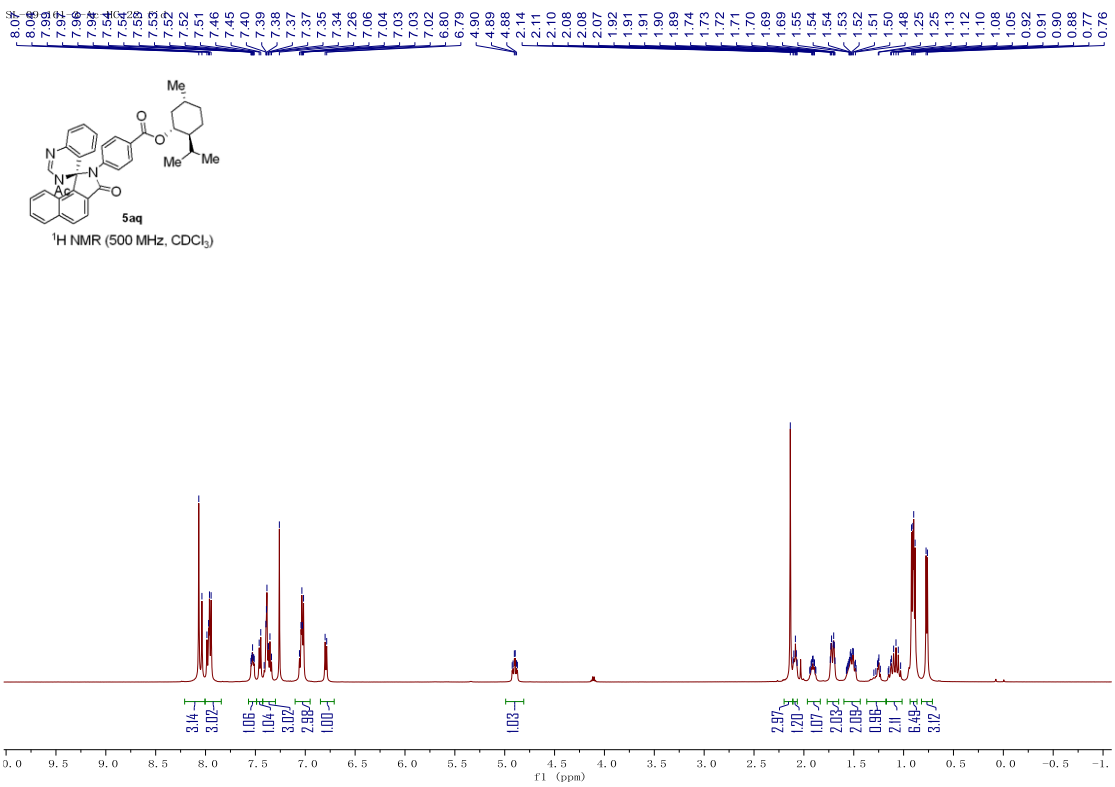


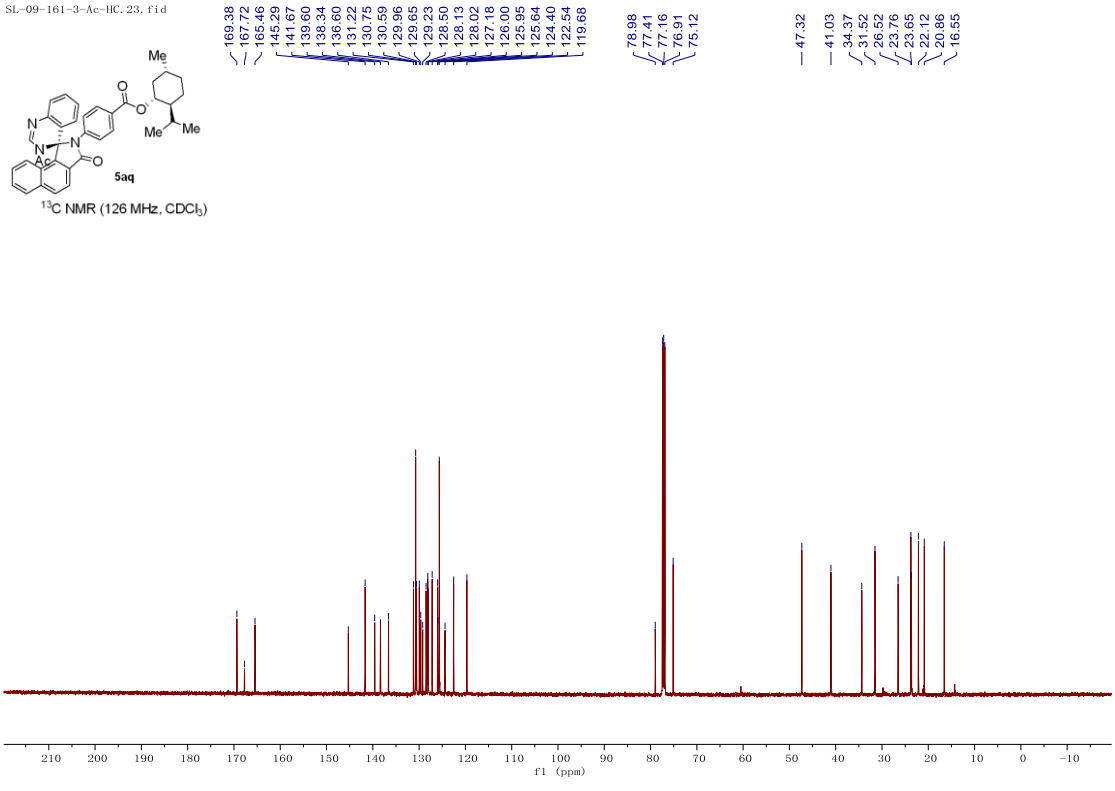
5ao

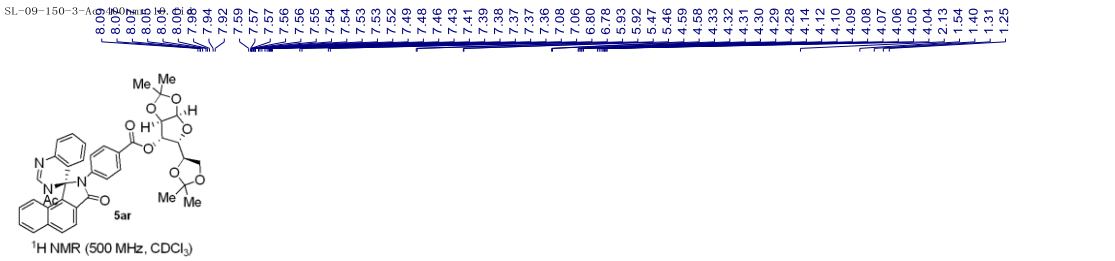
^{13}C NMR (126 MHz, $CDCl_3$)


SL-08-116-3-4-Br-H-AC.10.fid
8.09
8.07
8.06
7.99
7.98
7.55
7.54
7.54
7.53
7.52
7.52
7.45
7.44
7.43
7.43
7.41
7.41
7.40
7.39
7.39
7.38
7.38
7.36
7.36
7.35
7.35
7.26
7.07
7.07
7.05
7.05
7.04
7.04
6.78
6.78
6.76
6.76
6.75
6.74
6.73
6.73
6.72
2.17
Br
N
N
Ac
O
5ap
1H NMR (500 MHz, CDCl3)
2.04
1.99
1.08
6.05
1.03
3.05
3.16
f1 (ppm)


SL-08-116-3-AC-4-Br-C.10.fid
169.48
167.64
145.11
141.49
138.52
136.55
134.33
132.71
131.18
130.61
129.96
129.50
128.48
128.40
128.09
128.09
127.99
127.21
126.03
126.01
124.31
122.59
121.87
119.74
78.87
77.41
77.16
76.91
23.86
Br
N
N
Ac
O
5ap
13C NMR (126 MHz, CDCl3)
f1 (ppm)

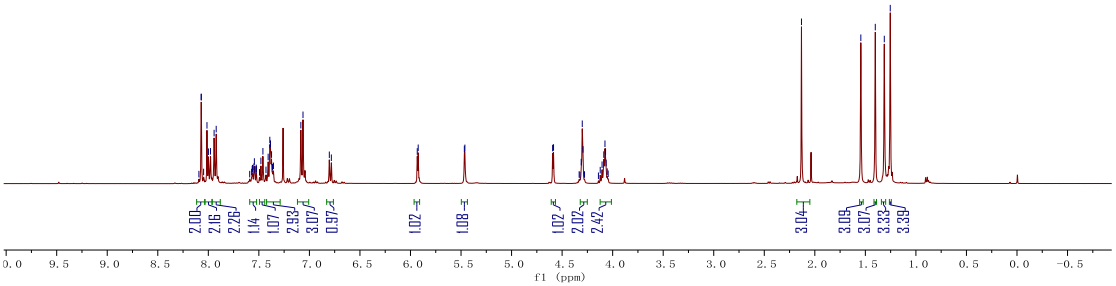

5aq
^{1}H NMR (500 MHz, CDCl$_3$)
f1 (ppm)

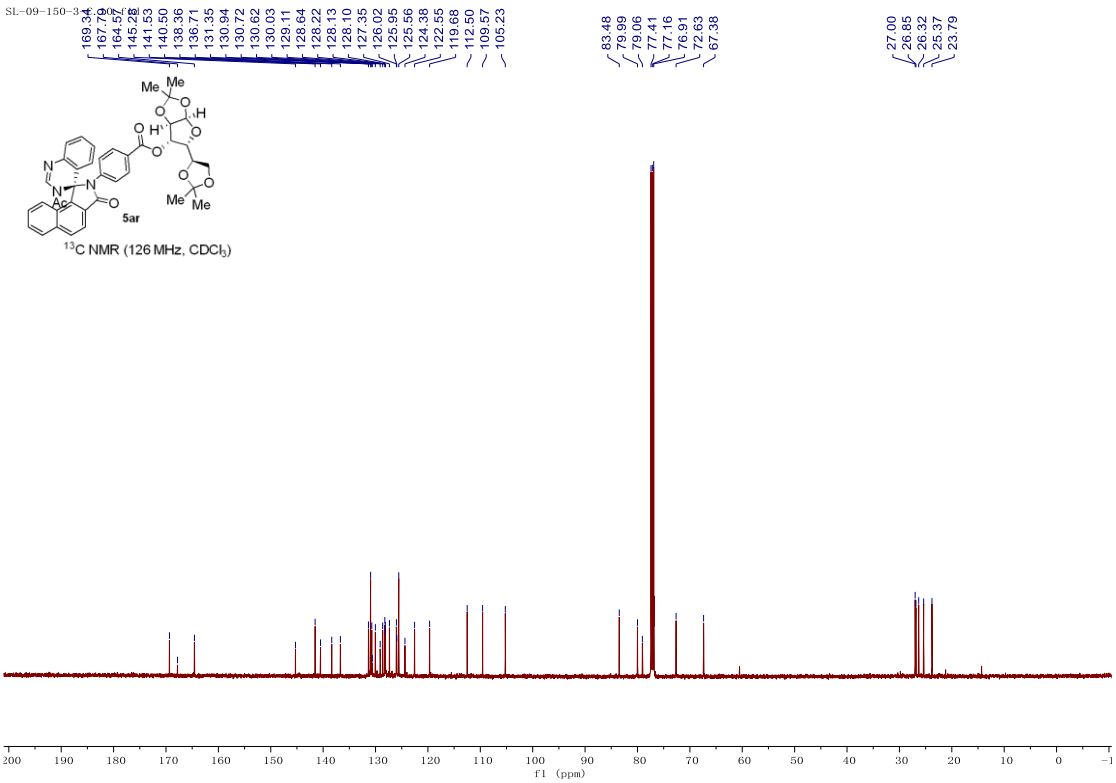

SL-09-161-3-Ac-HC.23.fid
5aq
^{13}C NMR (126 MHz, CDCl$_3$)
f1 (ppm)



5ar

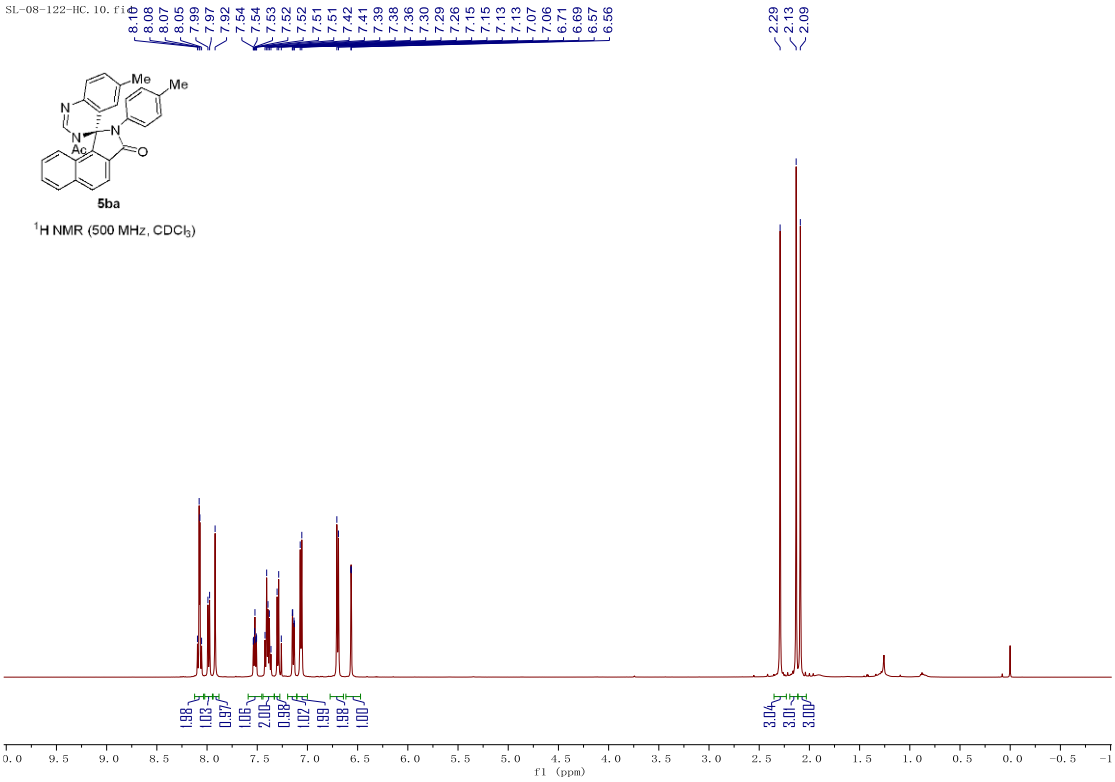
^{1}H NMR (500 MHz, $CDCl_3$)

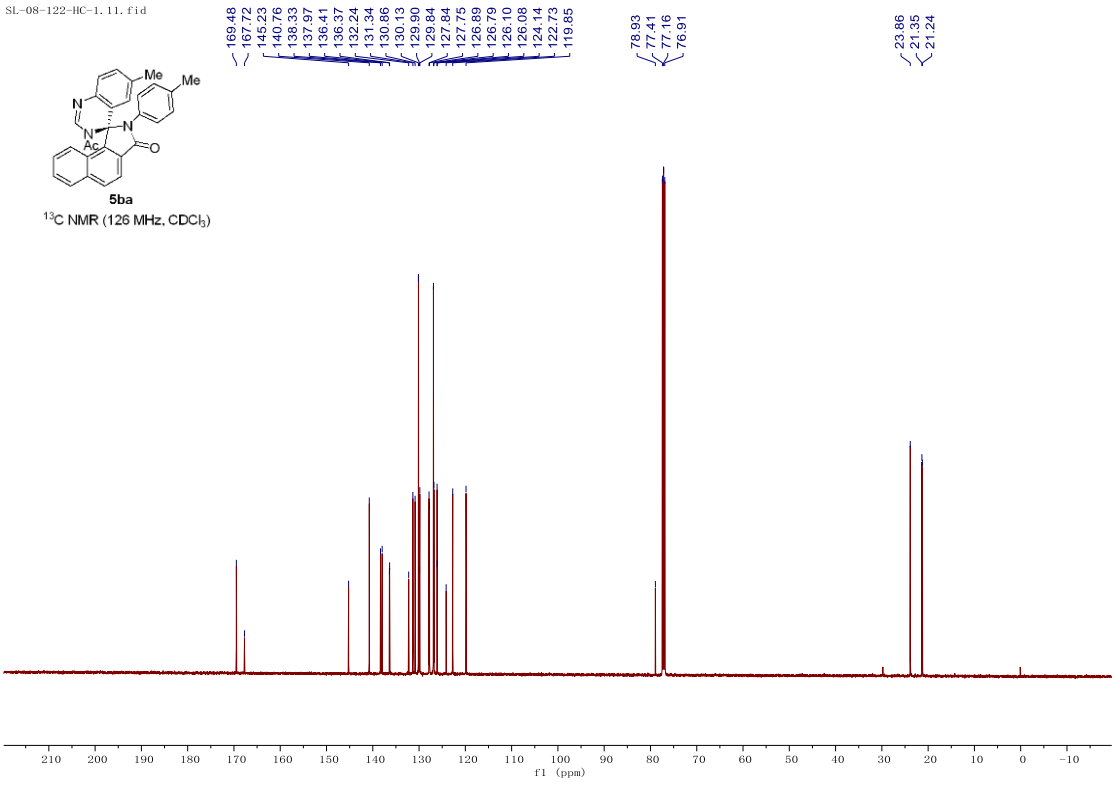


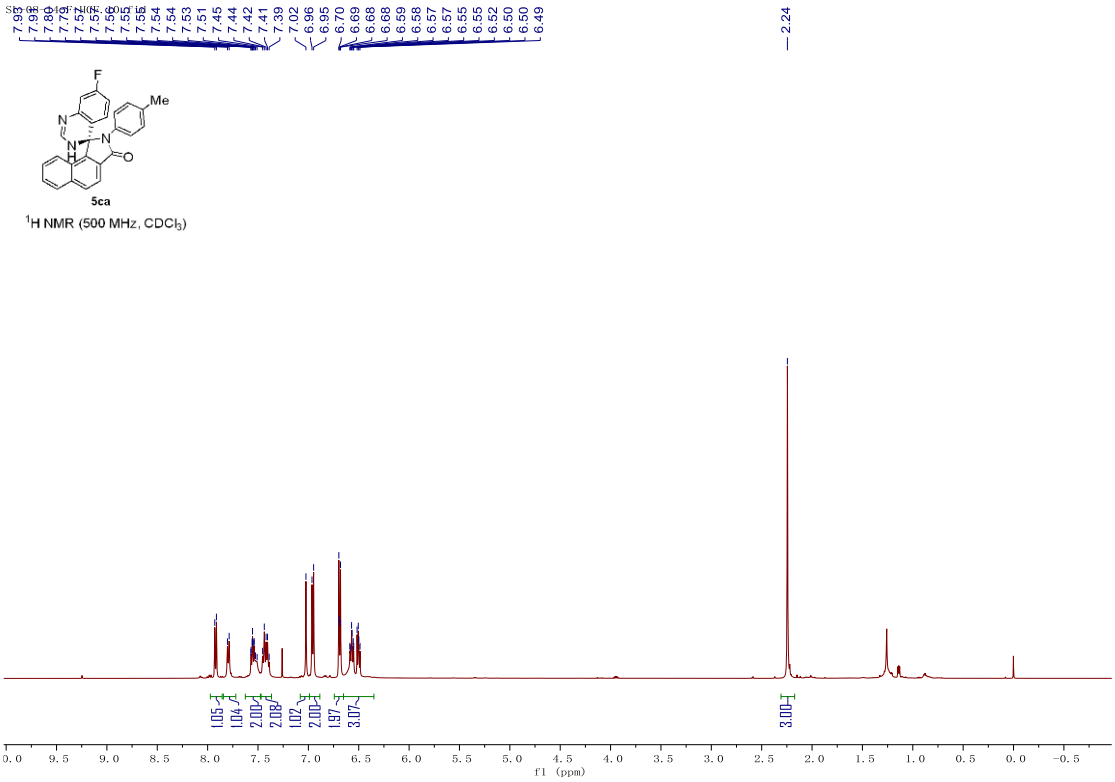


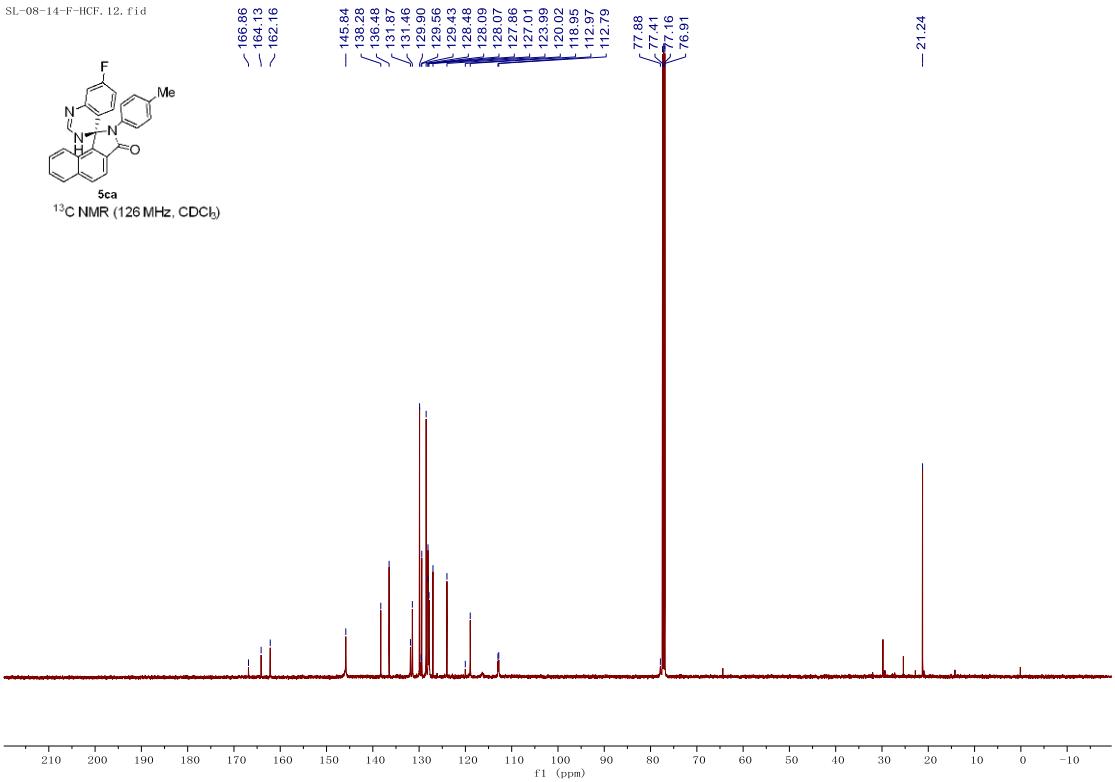
5ar

^{13}C NMR (126 MHz, $CDCl_3$)

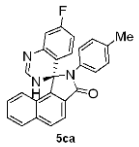

SL-08-122-HC.10.fid
8.10
8.08
8.07
8.05
7.99
7.97
7.92
7.54
7.54
7.53
7.52
7.52
7.51
7.51
7.42
7.41
7.39
7.38
7.36
7.30
7.29
7.26
7.15
7.15
7.13
7.13
7.07
7.06
6.71
6.69
6.57
6.56
2.29
2.13
2.09
Me
Me
N
N
Ac
O
5ba
1H NMR (500 MHz, CDCl3)
1.98
1.03
0.97
1.06
2.00
0.98
1.02
1.99
1.98
1.00
3.04
3.01
3.00
f1 (ppm)


SL-08-122-HC-1.11.fid
169.48
167.72
145.23
140.76
138.33
137.97
136.41
136.37
132.24
131.34
130.86
130.13
129.90
129.84
127.84
127.75
126.89
126.79
126.10
126.08
124.14
122.73
119.85
78.93
77.41
77.16
76.91
23.86
21.35
21.24
Me
Me
N
N
Ac
O
5ba
13C NMR (126 MHz, CDCl3)
f1 (ppm)


7.93
7.80
7.79
7.54
7.53
7.51
7.45
7.44
7.42
7.41
7.39
7.02
6.96
6.95
6.70
6.69
6.68
6.59
6.58
6.57
6.55
6.52
6.50
6.49
2.24
F
Me
N
N
H
O
5ca
1H NMR (500 MHz, CDCl3)
1.05
1.04
2.00
2.08
1.02
2.00
1.97
3.07
3.00
f1 (ppm)


SL-08-14-F-HCF.12.fid
166.86
164.13
162.16
145.84
138.28
136.48
131.67
131.46
129.90
129.56
129.43
128.48
128.09
128.07
127.86
127.01
123.99
120.02
118.95
112.97
112.79
77.88
77.41
77.16
76.91
21.24
F
Me
N
N
H
O
5ca
13C NMR (126 MHz, CDCl3)
f1 (ppm)

SL-08-14-F-HCF.11.fid



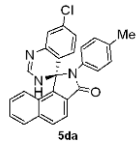
5ca

^{19}F NMR (471 MHz, $CDCl_3$)

SL-07-

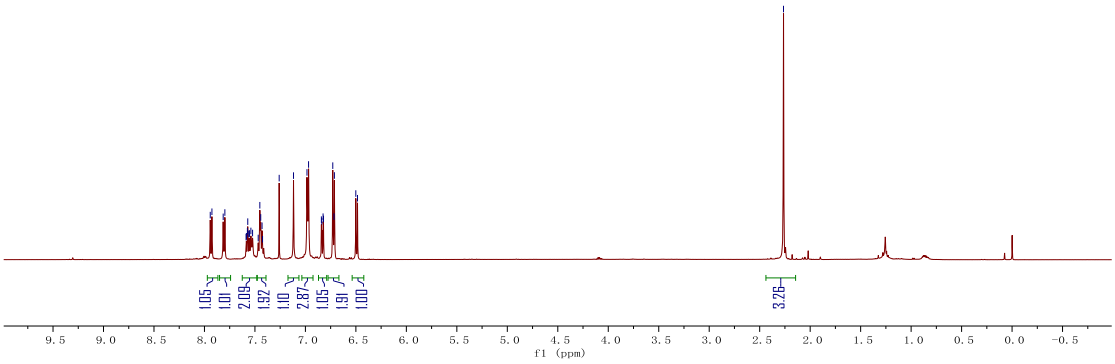
7.94 7.93 7.85 7.80 7.58 7.58 7.57 7.57 7.57 7.56 7.55 7.54 7.52 7.47 7.45 7.44 7.43 7.42 7.26 7.12 6.98 6.97 6.84 6.84 6.82 6.73 6.72 6.71 6.50 6.48

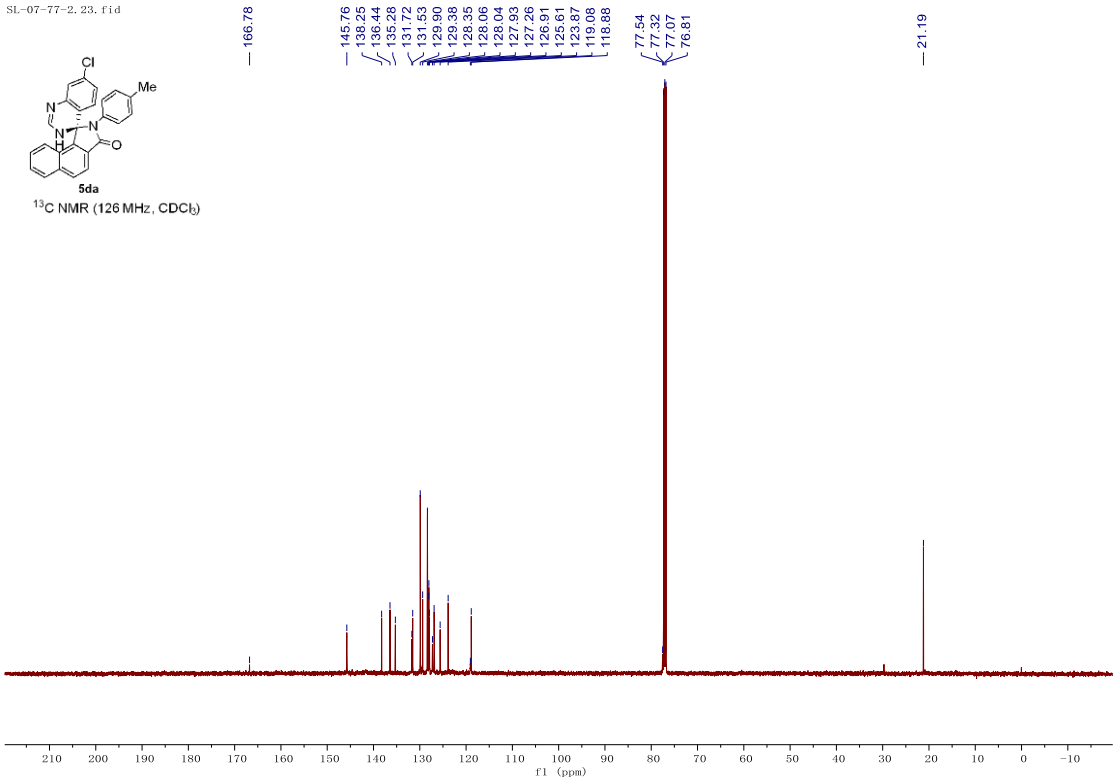
2.26

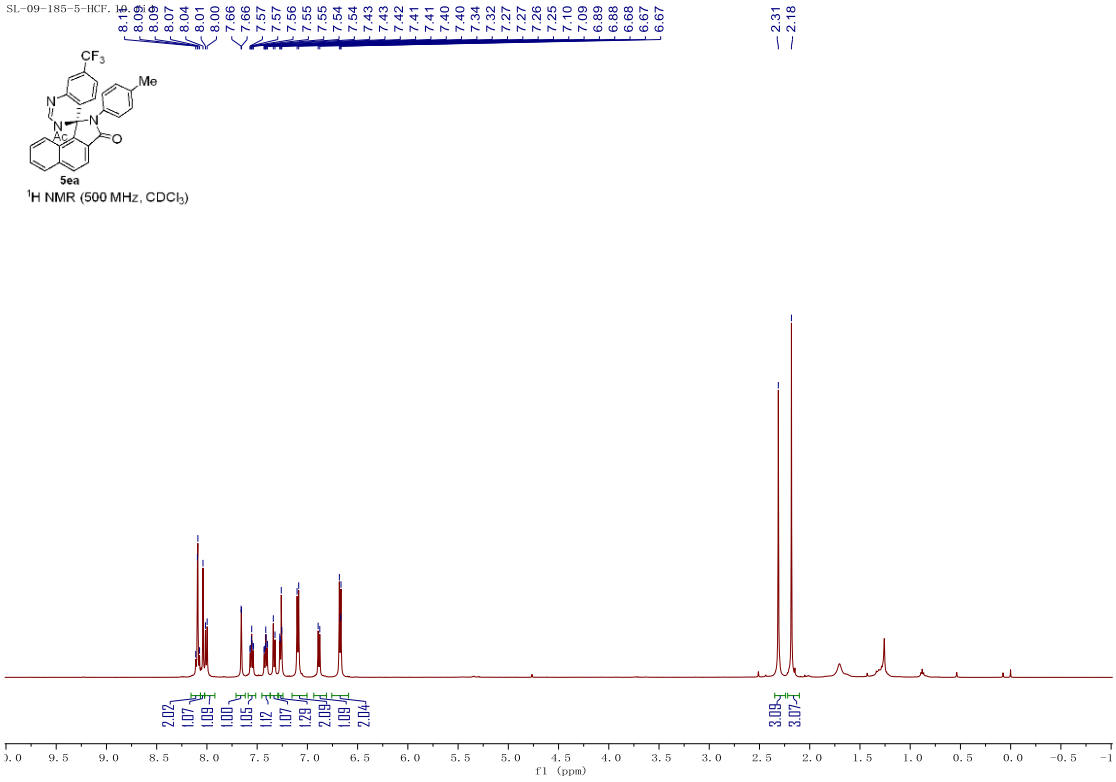


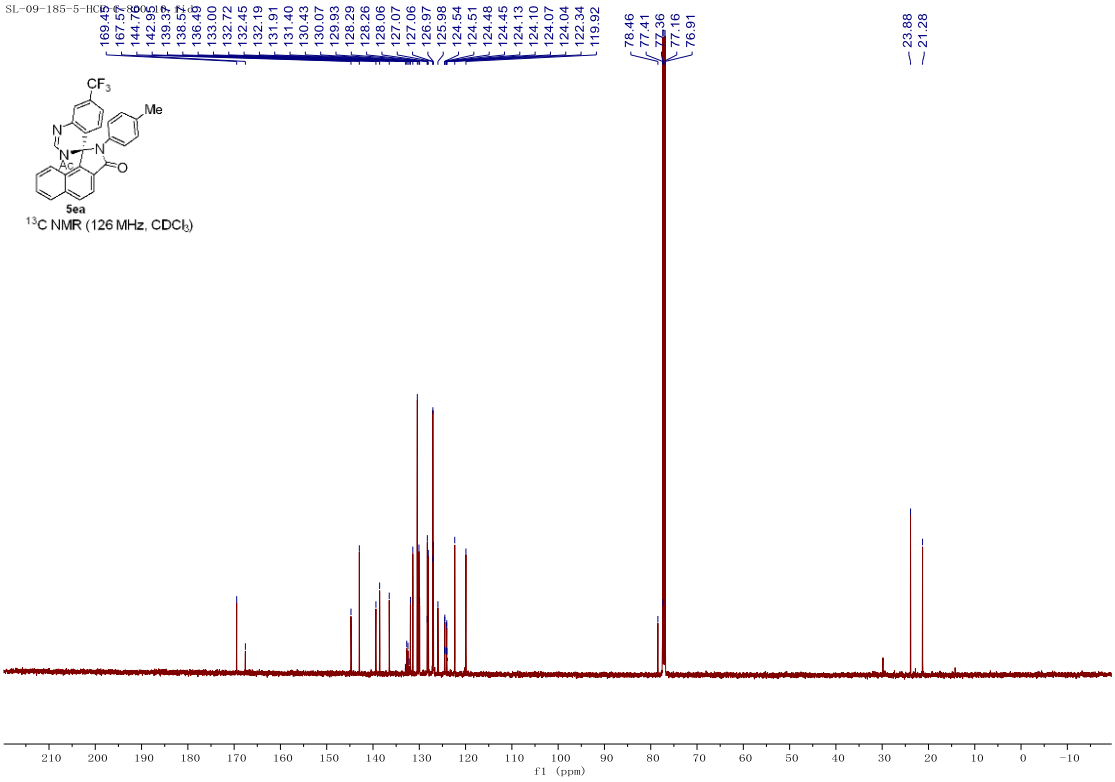
5da

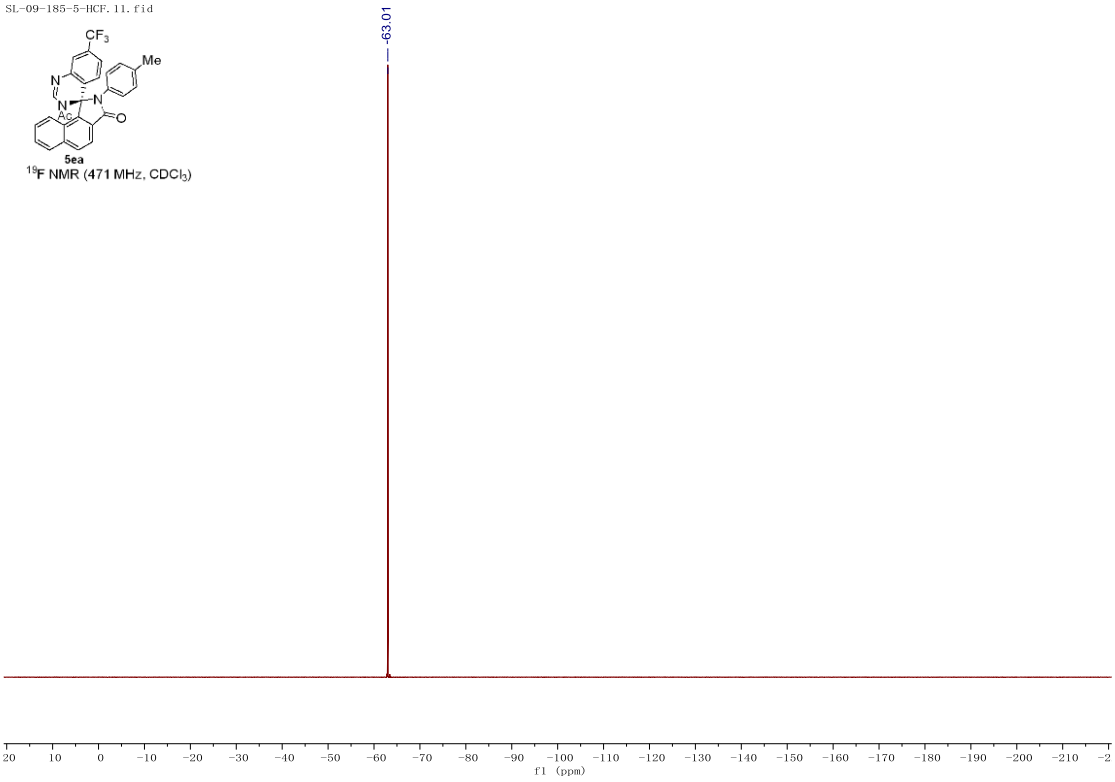
^{1}H NMR (500 MHz, $CDCl_3$)

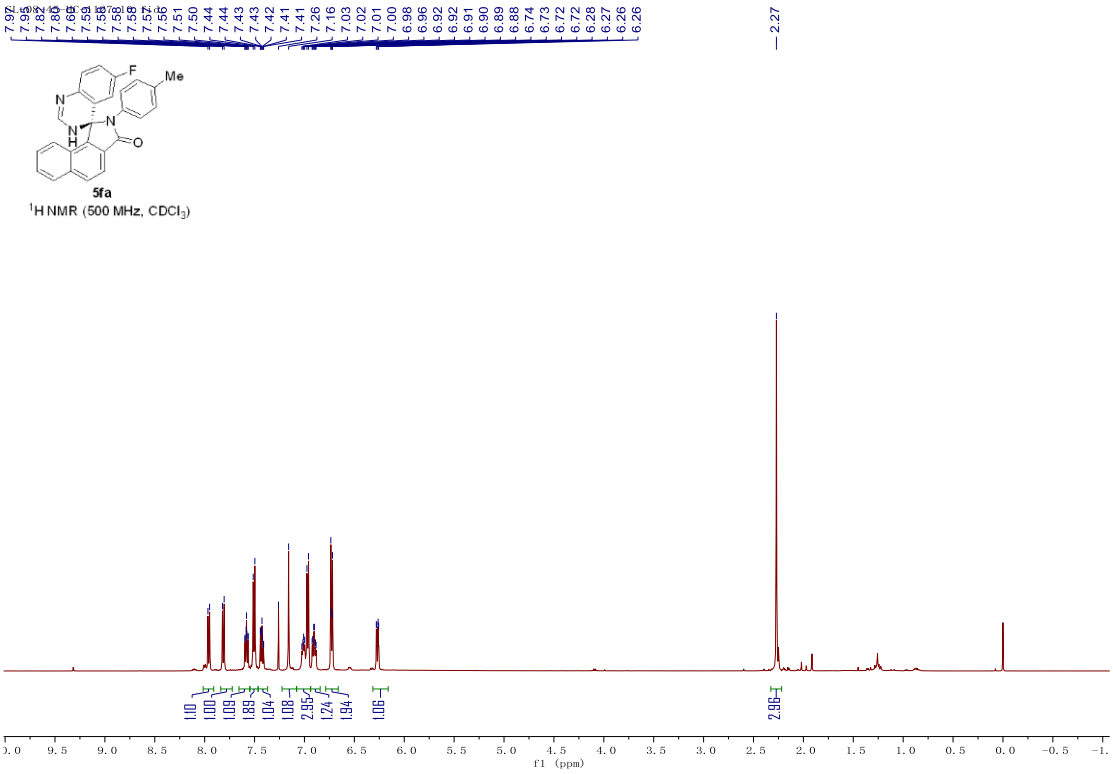



SL-07-77-2.23.fid
166.78
145.76
138.25
136.44
135.28
131.72
131.53
129.90
129.38
128.35
128.06
128.04
127.93
127.26
126.91
125.61
123.87
119.08
118.88
77.54
77.32
77.07
76.81
21.19
Cl
Me
N
N
H
N
O
5da
13C NMR (126 MHz, CDCl3)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


SL-09-185-5-HCF.
8.15
8.09
8.08
8.07
8.04
8.01
8.00
7.66
7.57
7.57
7.56
7.55
7.55
7.54
7.54
7.43
7.43
7.42
7.41
7.41
7.40
7.40
7.34
7.32
7.27
7.26
7.25
7.10
7.09
6.89
6.88
6.68
6.67
6.67
2.31
2.18
CF3
Me
N
N
Ac
N
O
5ea
1H NMR (500 MHz, CDCl3)
2.02
1.07
1.09
1.00
1.05
1.12
1.07
1.29
2.09
1.09
2.04
3.09
3.07
f1 (ppm)

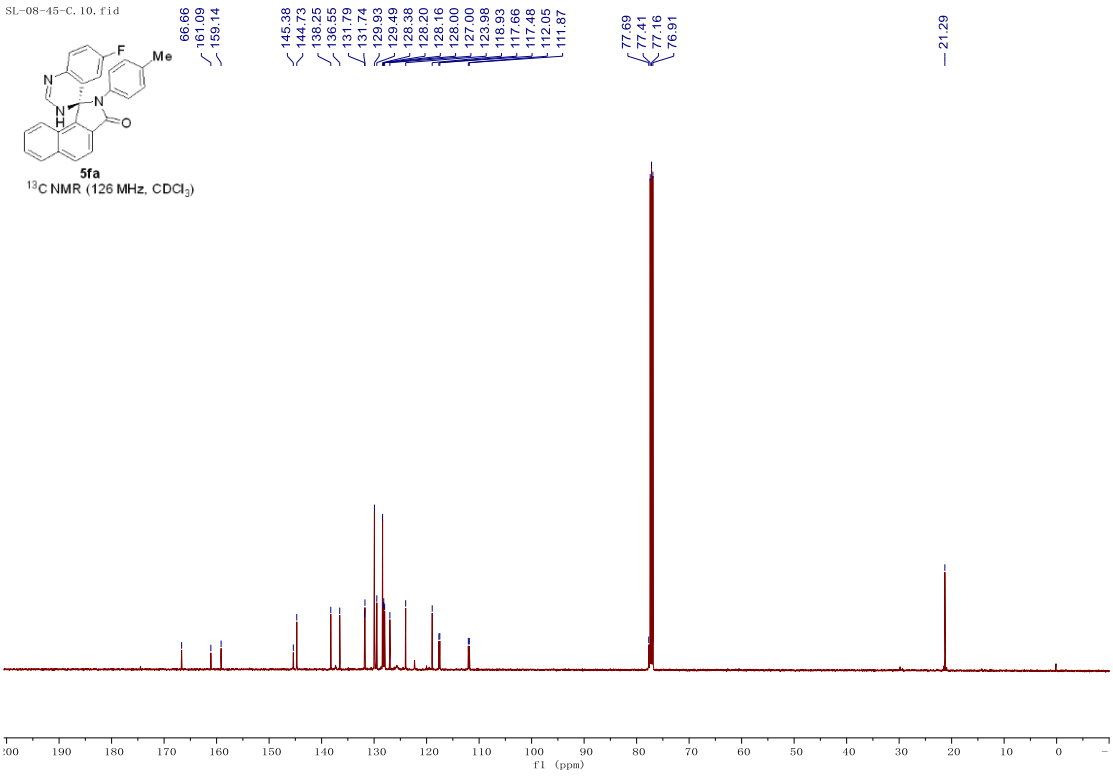

169.45
167.57
144.76
142.95
139.37
138.57
136.49
133.00
132.72
132.45
132.19
131.91
131.40
130.43
130.07
129.93
128.29
128.26
128.06
127.07
127.06
126.97
125.98
124.54
124.51
124.48
124.45
124.13
124.10
124.07
124.04
122.34
119.92
78.46
77.41
77.36
77.16
76.91
23.88
21.28
CF3
Me
N
N
Ag
F
N
O
5ea
13C NMR (126 MHz, CDCl3)
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)


SL-09-185-5-HCF. 11. fid
-63.01
CF3
Me
N
N
Ag
F
N
O
5ea
19F NMR (471 MHz, CDCl3)
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210 -2
f1 (ppm)



5fa

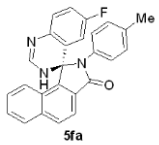
^{1}H NMR (500 MHz, $CDCl_3$)



5fa

^{13}C NMR (126 MHz, $CDCl_3$)

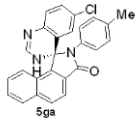
SL-08-45-HC-1127.11.fid



5fa

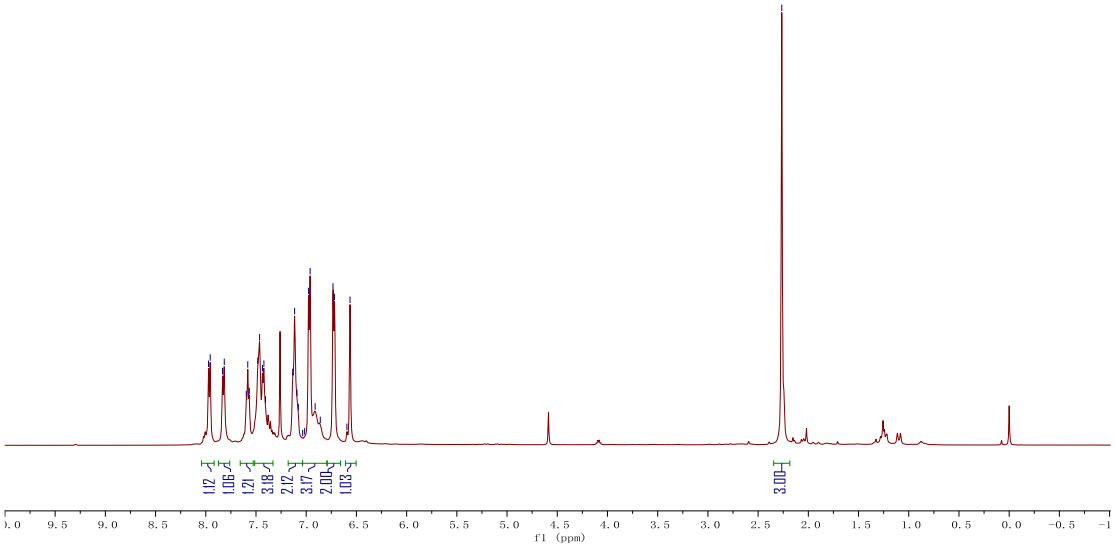
^{19}F NMR (471 MHz, $CDCl_3$)

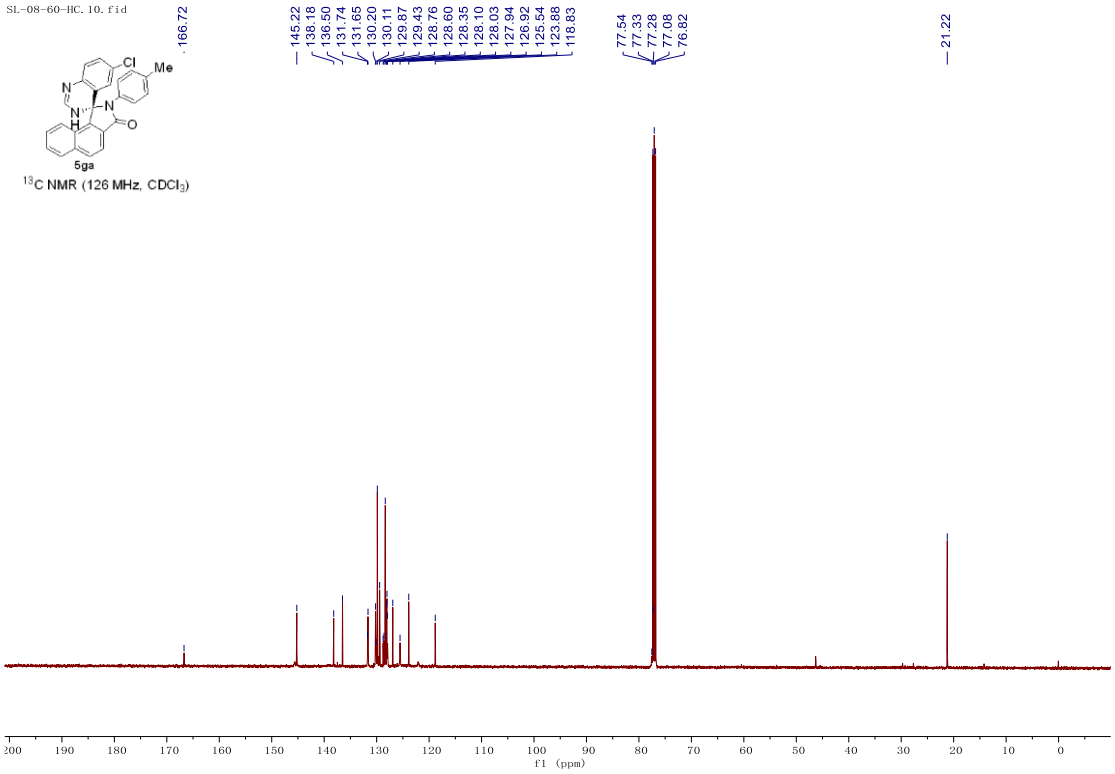
SL-08-60-H.

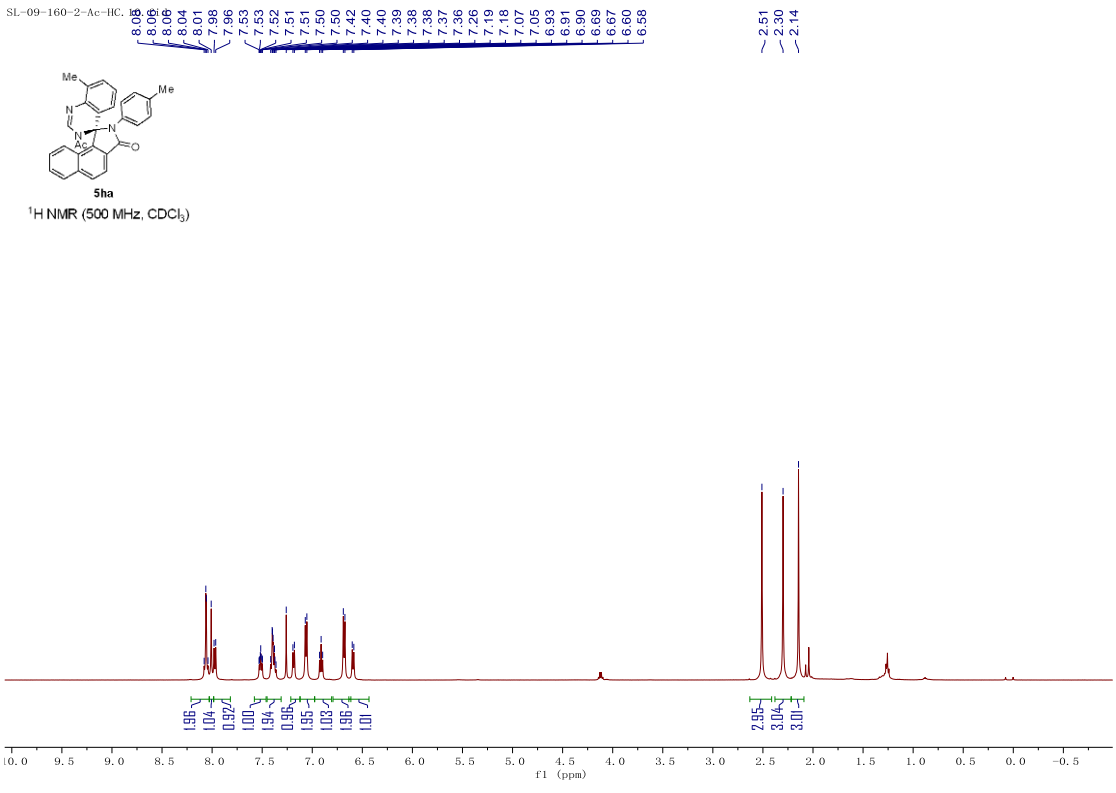


5ga

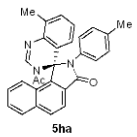
^{1}H NMR (500 MHz, $CDCl_3$)




SL-08-60-HC.10.fid
166.72
145.22
138.18
136.50
131.74
131.65
130.20
130.11
129.87
129.43
128.76
128.60
128.35
128.10
128.03
127.94
126.92
125.54
123.88
118.83
77.54
77.33
77.28
77.08
76.82
21.22
Cl
Me
N
N
H
O
5ga
13C NMR (126 MHz, CDCl3)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

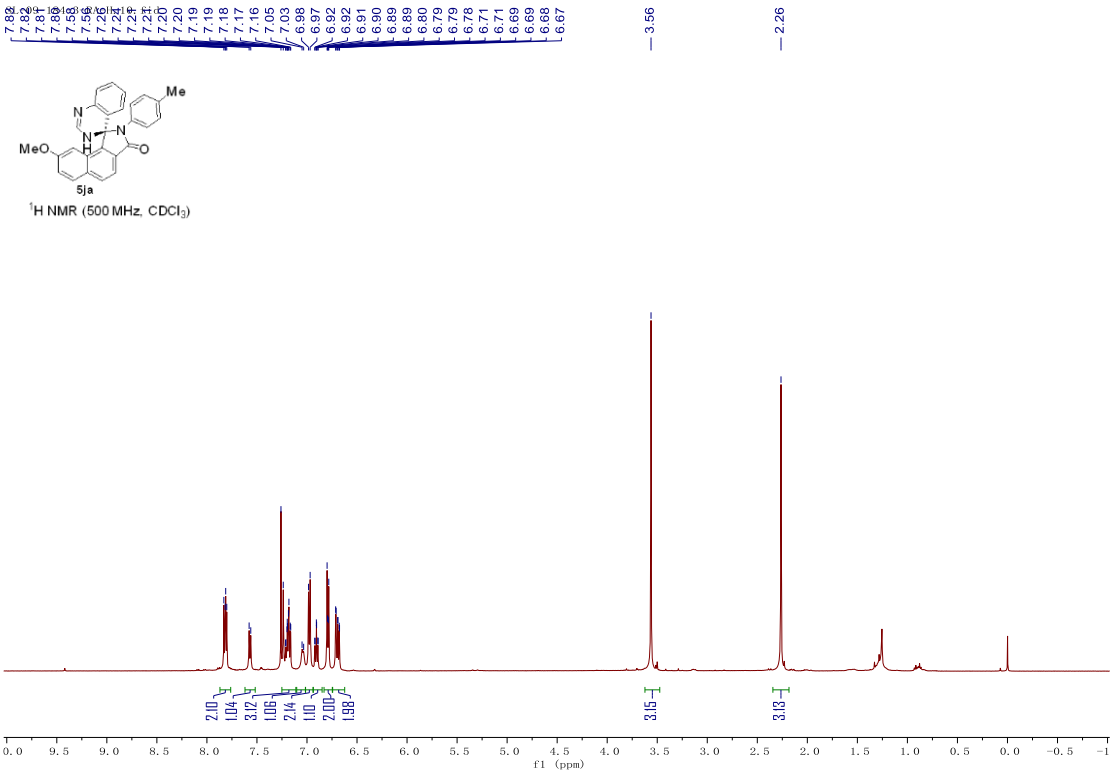

SL-09-160-2-Ac-HC.
8.08
8.06
8.04
8.01
7.98
7.96
7.53
7.52
7.51
7.51
7.50
7.50
7.42
7.40
7.40
7.39
7.38
7.38
7.37
7.36
7.26
7.19
7.18
7.07
7.05
6.93
6.91
6.90
6.69
6.67
6.60
6.58
2.51
2.30
2.14
Me
Me
N
N
Ac
O
5ha
1H NMR (500 MHz, CDCl3)
1.96
1.04
0.92
1.00
1.94
0.96
1.95
1.03
1.96
1.01
2.95
3.04
3.01
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 -0.5
f1 (ppm)

SL-09-160-2-Ac-HC. 11. fid



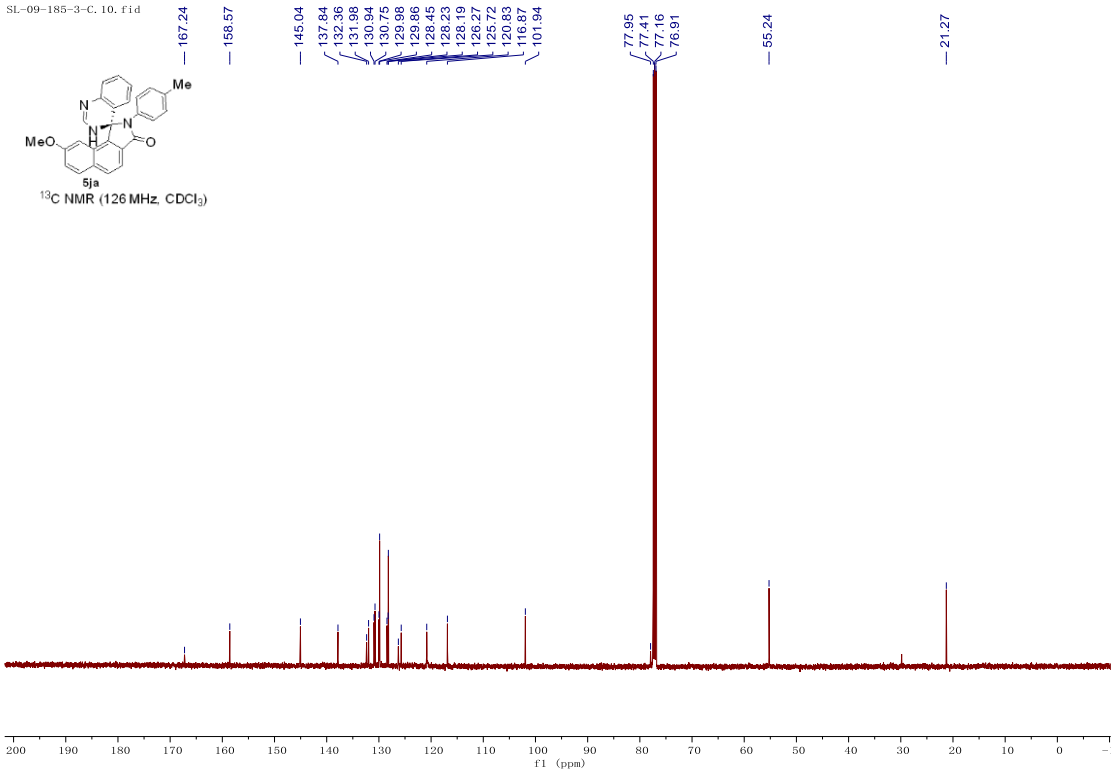
5ha

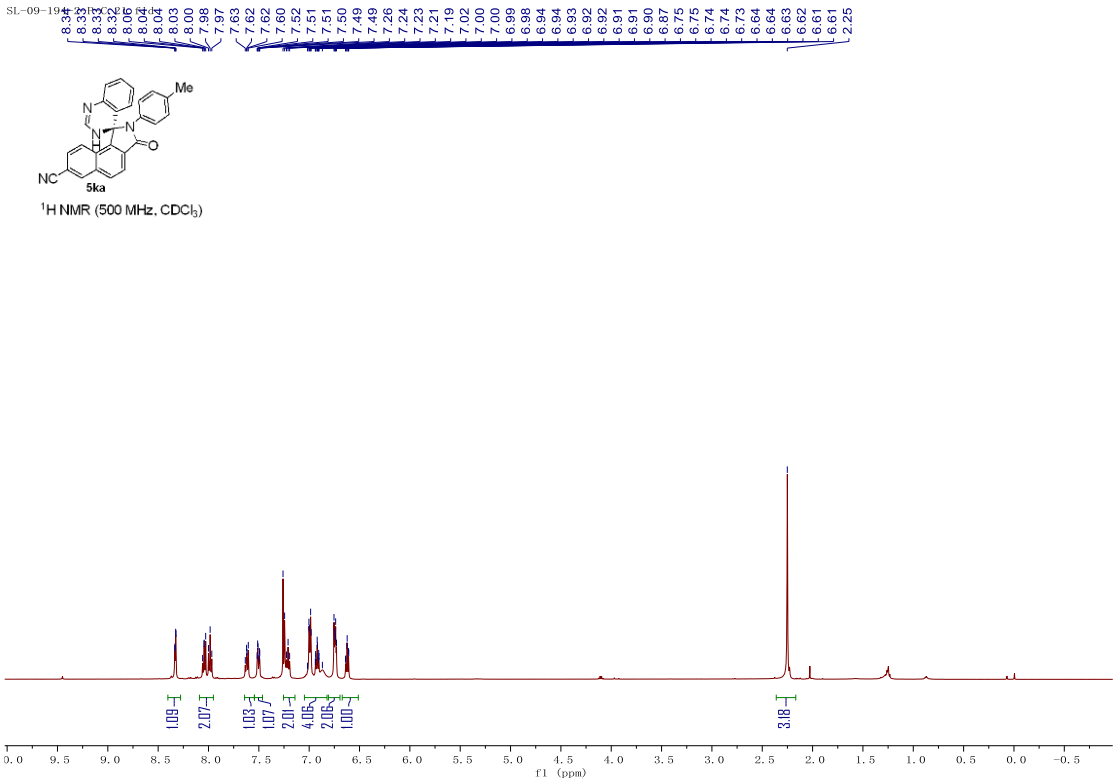
^{13}C NMR (126 MHz, $CDCl_3$)

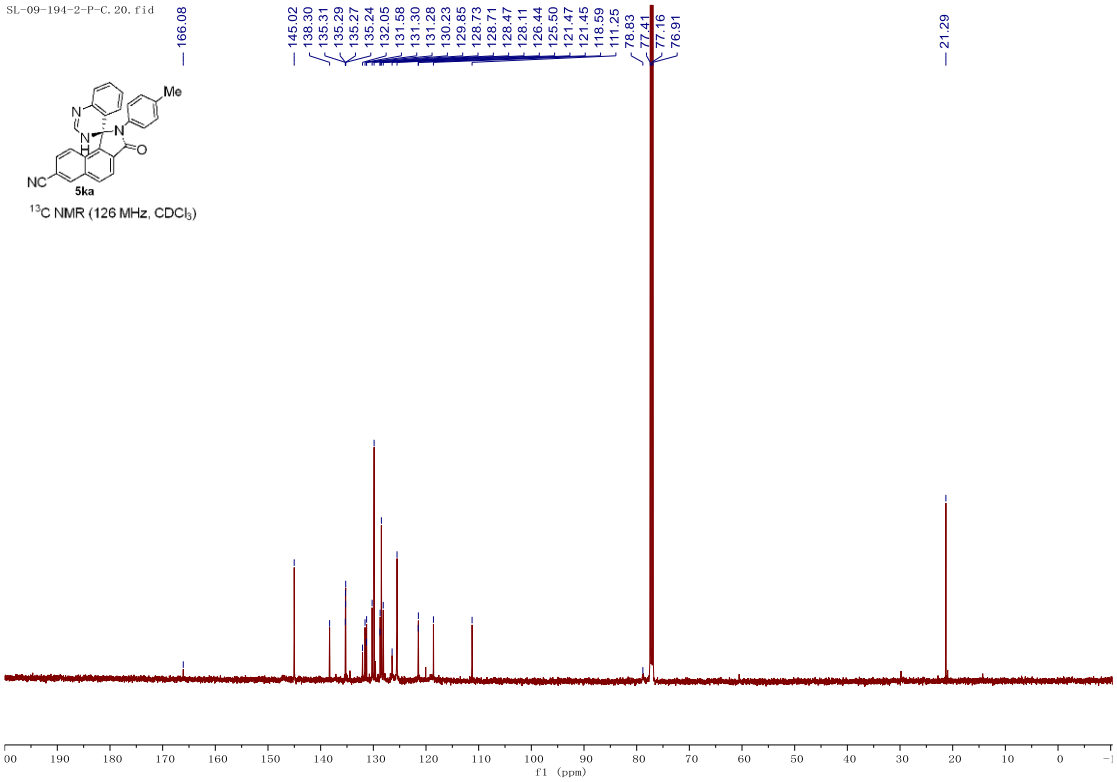


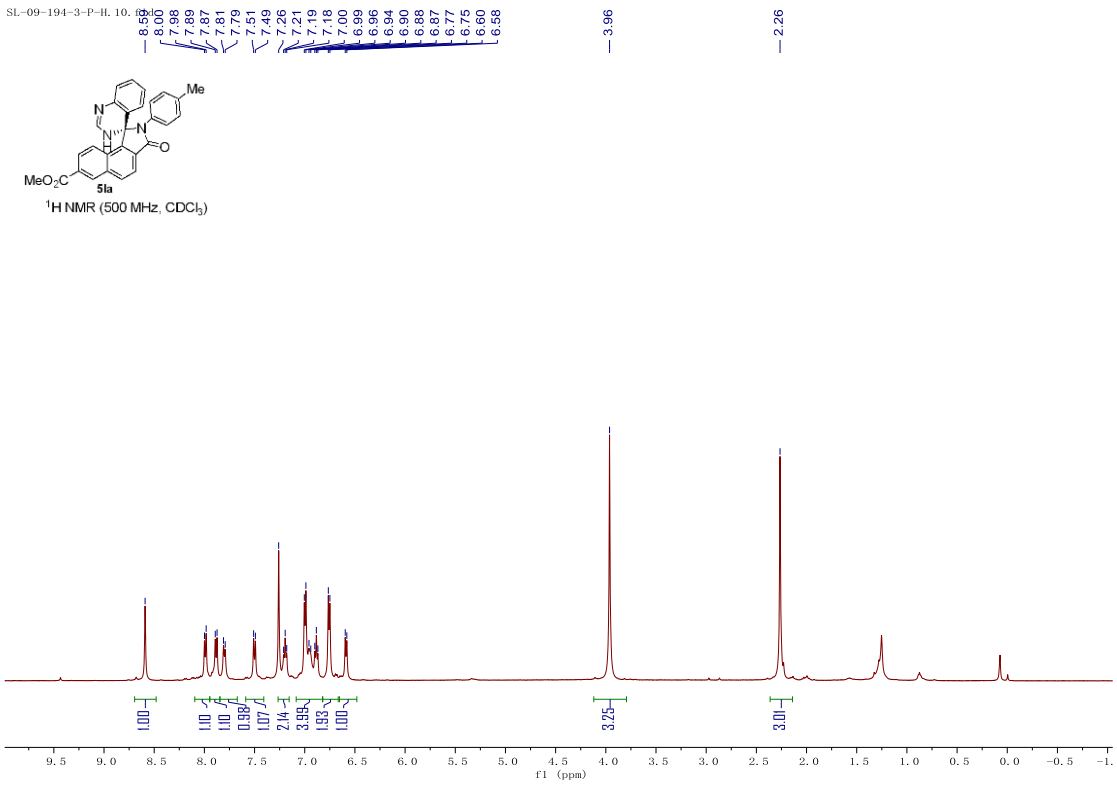
5ja

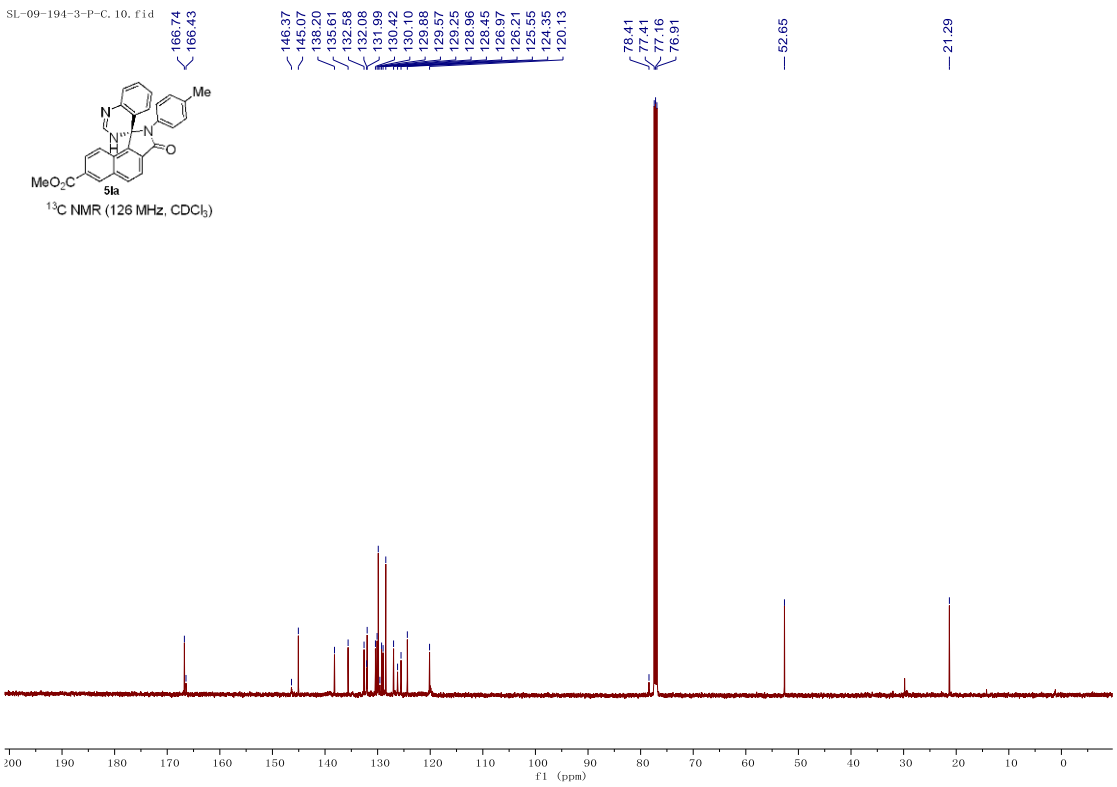
^{1}H NMR (500 MHz, $CDCl_3$)

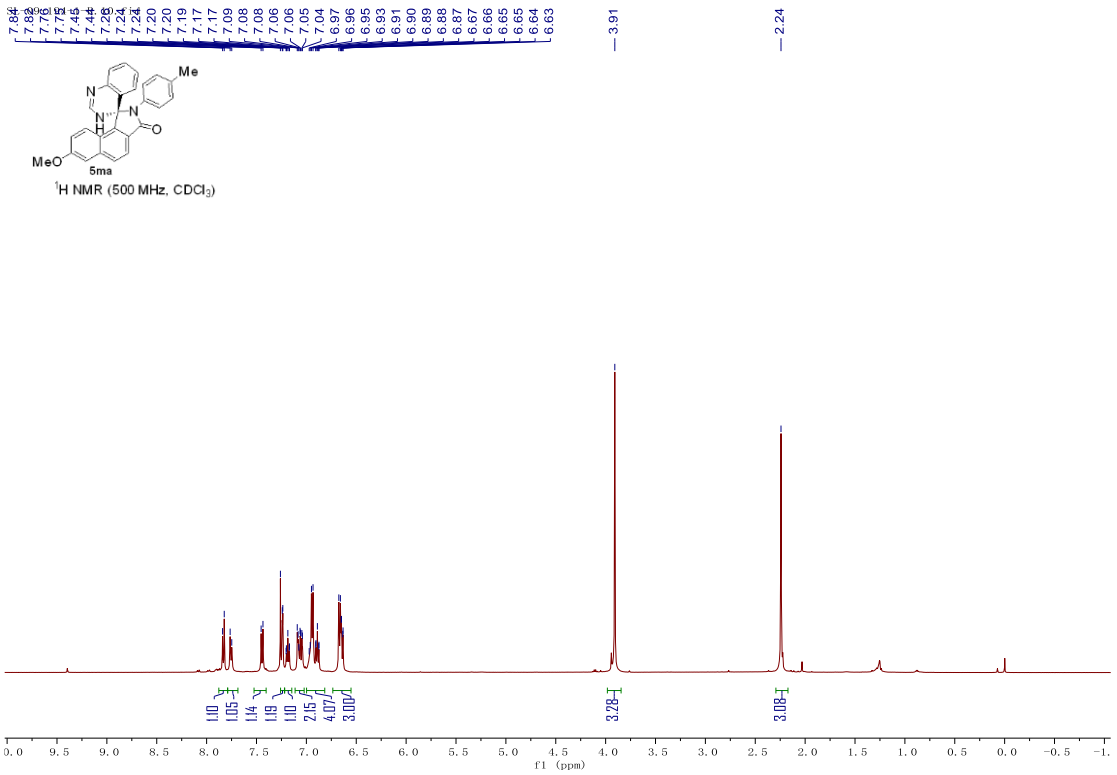

SL-09-185-3-C.10.fid
167.24
158.57
145.04
137.84
132.36
131.98
130.94
130.75
129.98
129.86
128.45
128.23
128.19
126.27
125.72
120.83
116.87
101.94
77.95
77.41
77.16
76.91
55.24
21.27
Me
MeO
O
5ja
13C NMR (126 MHz, CDCl3)
f1 (ppm)

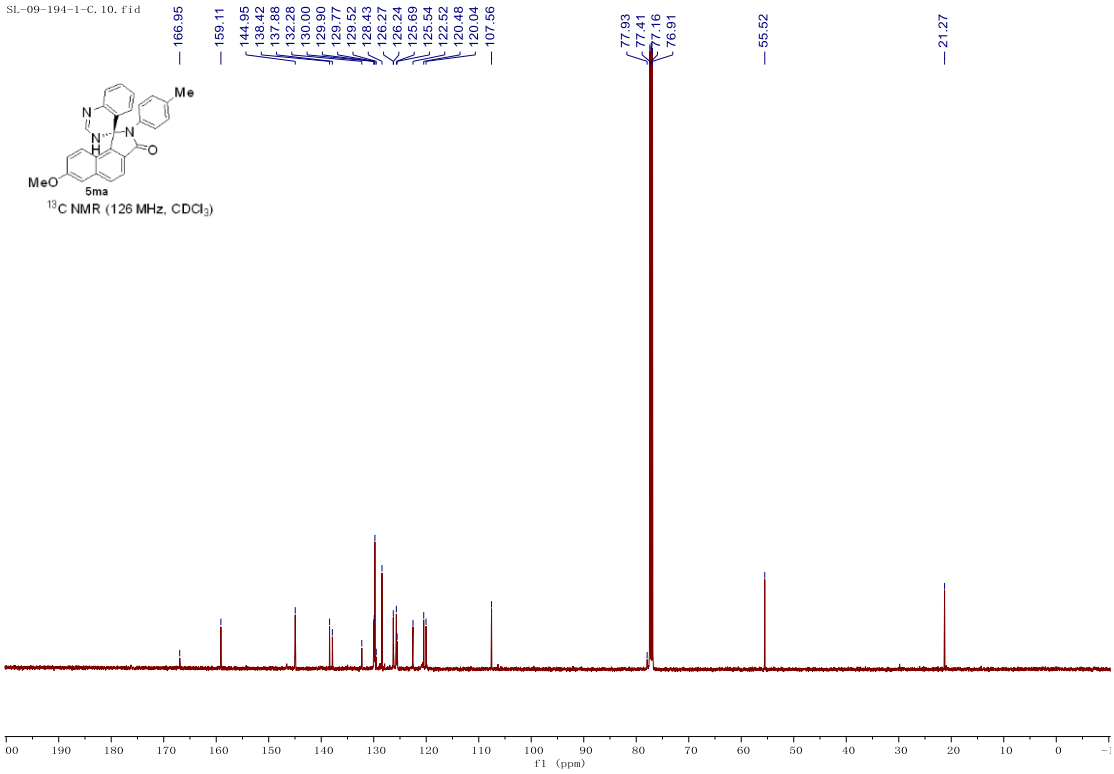

SL-09-194-H.10.fid
Me
NC
O
5ka
1H NMR (500 MHz, CDCl3)
1.09
2.07
1.03
1.07
2.01
4.06
2.06
1.00
3.18
f1 (ppm)

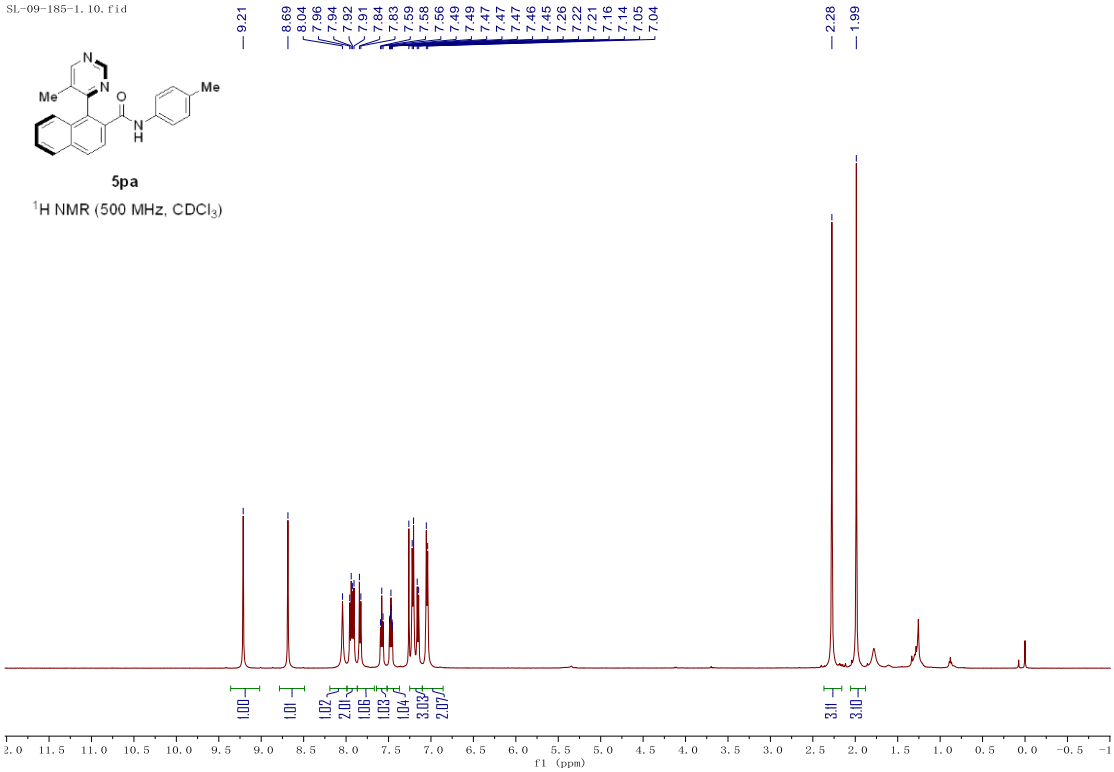

SL-09-194-2-P-C.20.fid
166.08
145.02
138.30
135.31
135.29
135.27
135.24
132.05
131.58
131.30
131.28
130.23
129.85
128.73
128.71
128.47
128.11
126.44
125.50
121.47
121.45
118.59
111.25
78.83
77.41
77.16
76.91
21.29
Me
N
N
H
O
NC
5ka
13C NMR (126 MHz, CDCl3)
f1 (ppm)

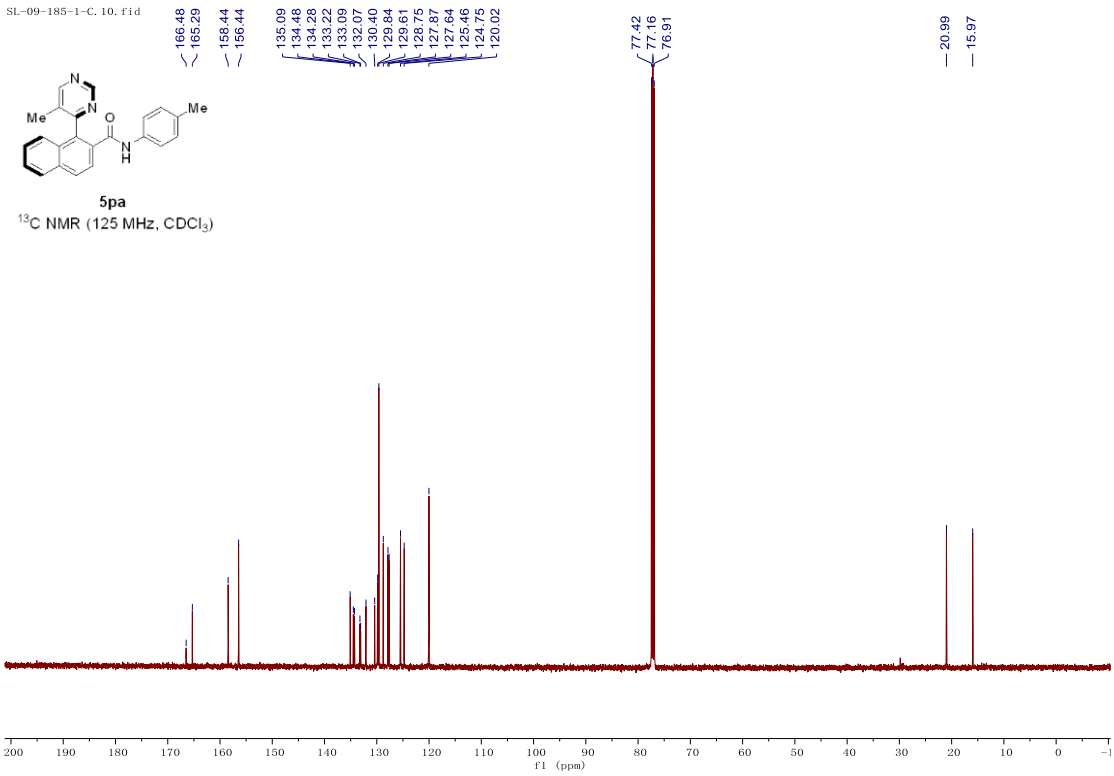

SL-09-194-3-P-H.10.fid
8.59
8.00
7.98
7.89
7.87
7.81
7.79
7.51
7.49
7.26
7.21
7.19
7.18
7.00
6.99
6.96
6.94
6.90
6.88
6.87
6.77
6.75
6.60
6.58
3.96
2.26
Me
N
N
H
O
MeO2C
5la
1H NMR (500 MHz, CDCl3)
1.00
1.10
1.10
0.98
1.07
2.14
3.99
1.93
1.00
3.25
3.01
f1 (ppm)


SL-09-194-3-P-C. 10. fid
166.74
166.43
146.37
145.07
138.20
135.61
132.58
132.08
131.99
130.42
130.10
129.88
129.57
129.25
128.96
128.45
126.97
126.21
125.55
124.35
120.13
78.41
77.41
77.16
76.91
52.65
21.29
Me
N
N
H
O
MeO2C
5la
13C NMR (126 MHz, CDCl3)
f1 (ppm)


7.84
7.83
7.77
7.72
7.45
7.44
7.24
7.20
7.19
7.17
7.09
7.08
7.06
7.05
7.04
6.97
6.96
6.95
6.93
6.91
6.90
6.89
6.88
6.87
6.67
6.66
6.65
6.64
6.63
3.91
2.24
Me
N
N
H
O
MeO
5ma
1H NMR (500 MHz, CDCl3)
1.10
1.05
1.14
1.19
1.10
2.15
4.07
3.00
3.28
3.08
f1 (ppm)

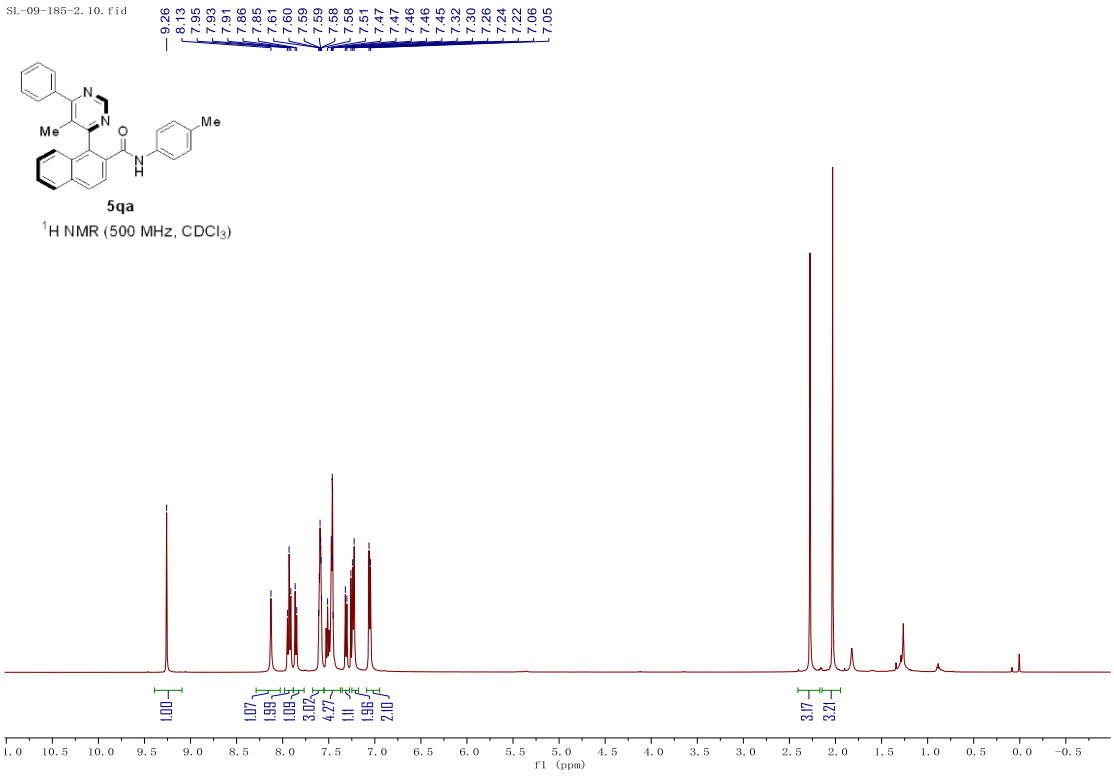

SL-09-194-1-C.10.fid
166.95
159.11
144.95
138.42
137.88
132.28
130.00
129.90
129.77
129.52
128.43
126.27
126.24
125.69
125.54
122.52
120.48
120.04
107.56
77.93
77.41
77.16
76.91
55.52
21.27
Me
MeO
5ma
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SL-09-185-1.10.fid
9.21
8.69
8.04
7.96
7.94
7.92
7.91
7.84
7.83
7.59
7.58
7.56
7.49
7.49
7.47
7.47
7.47
7.46
7.45
7.26
7.22
7.21
7.16
7.14
7.05
7.04
2.28
1.99
Me
Me
5pa
1H NMR (500 MHz, CDCl3)
1.00
1.01
1.02
2.01
1.06
1.03
1.04
3.03
2.07
3.11
3.10
f1 (ppm)



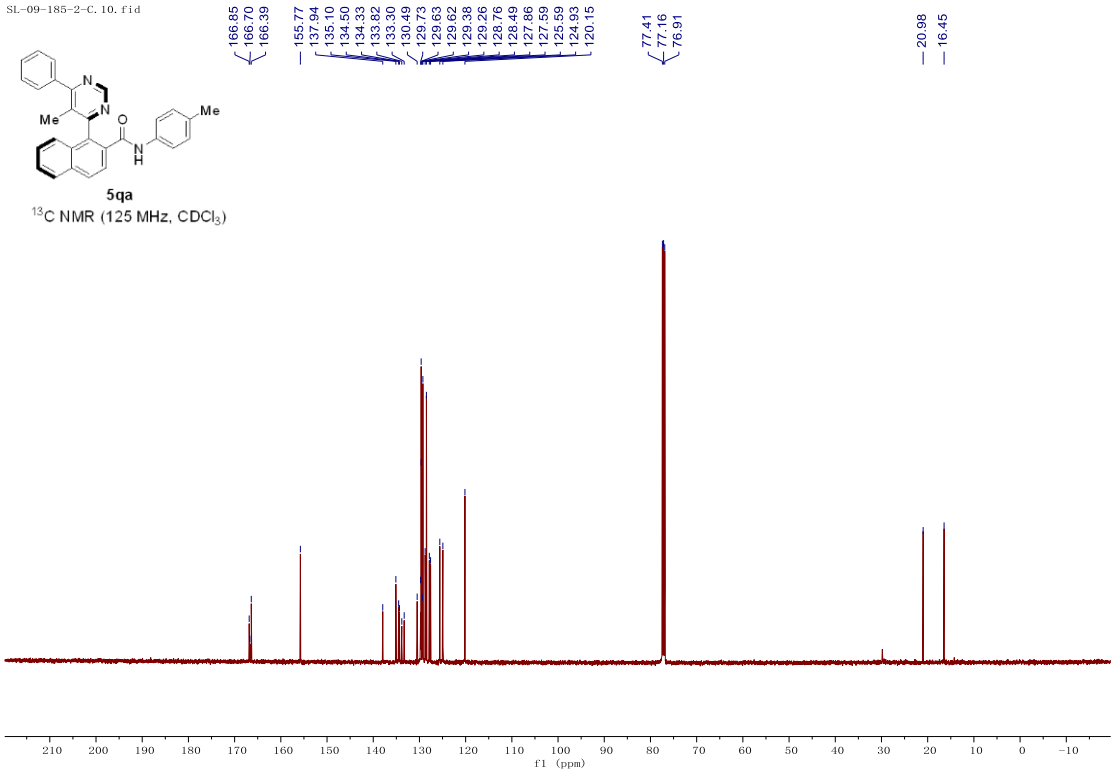
5pa

^{13}C NMR (125 MHz, $CDCl_3$)



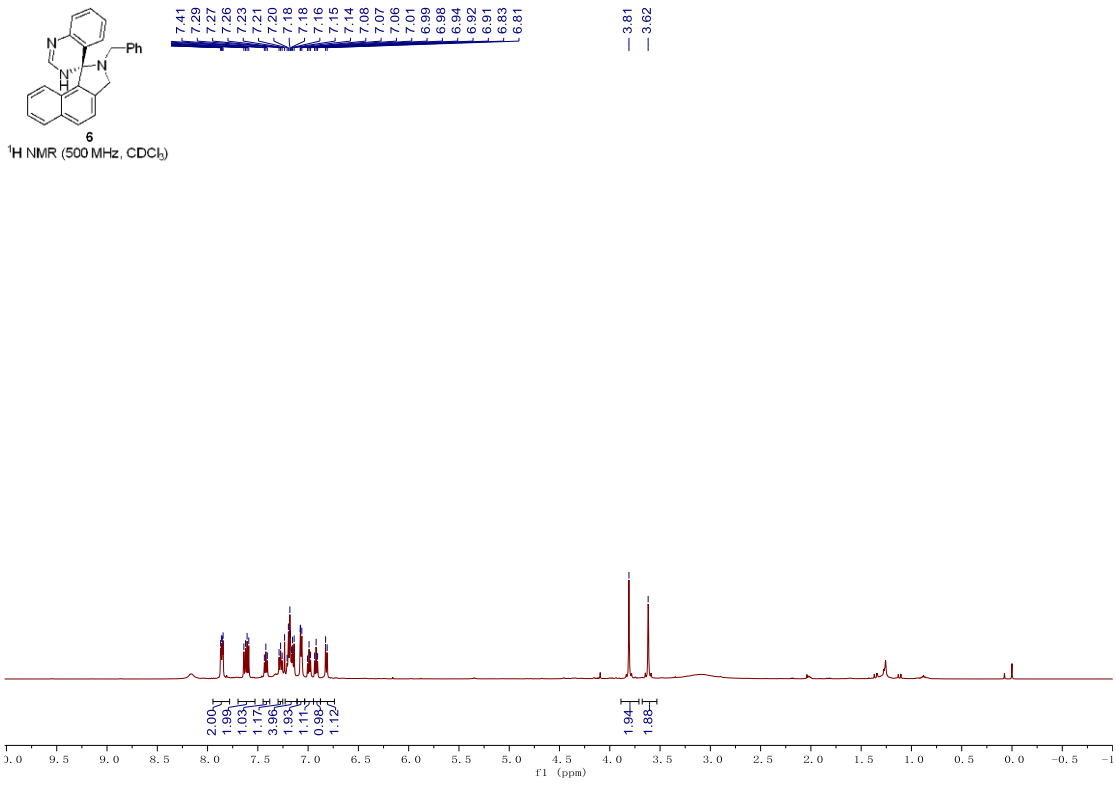
5qa

^{1}H NMR (500 MHz, $CDCl_3$)



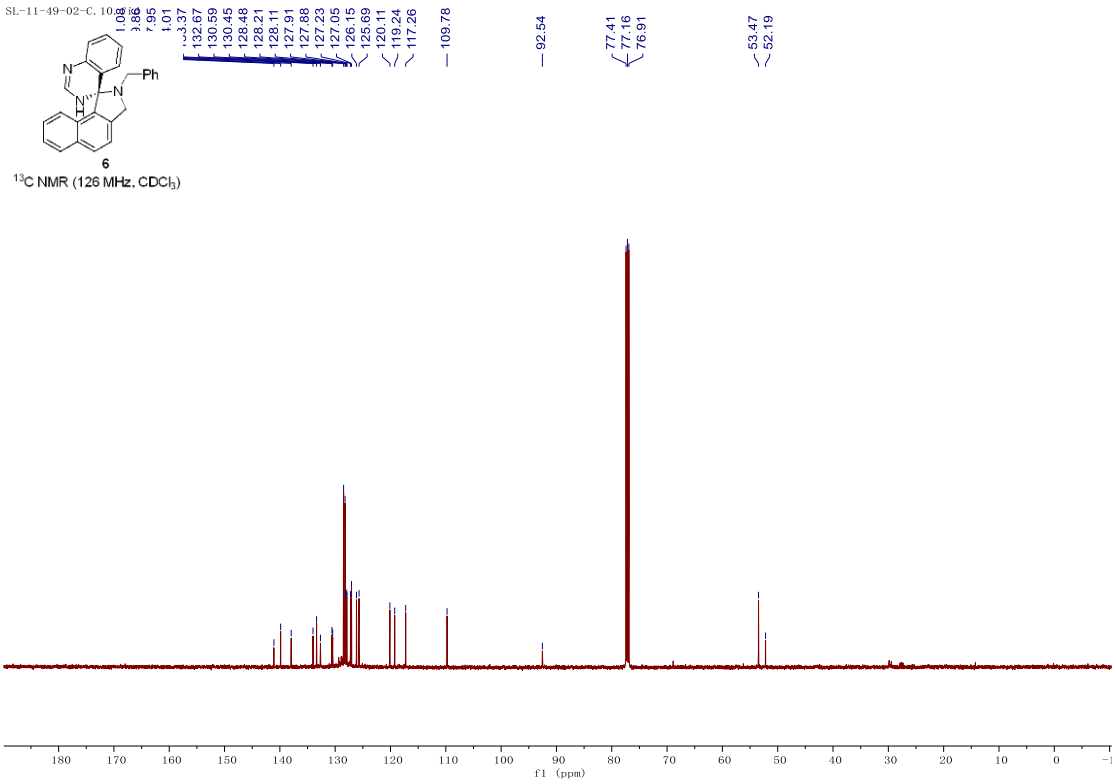
5qa

^{13}C NMR (125 MHz, $CDCl_3$)



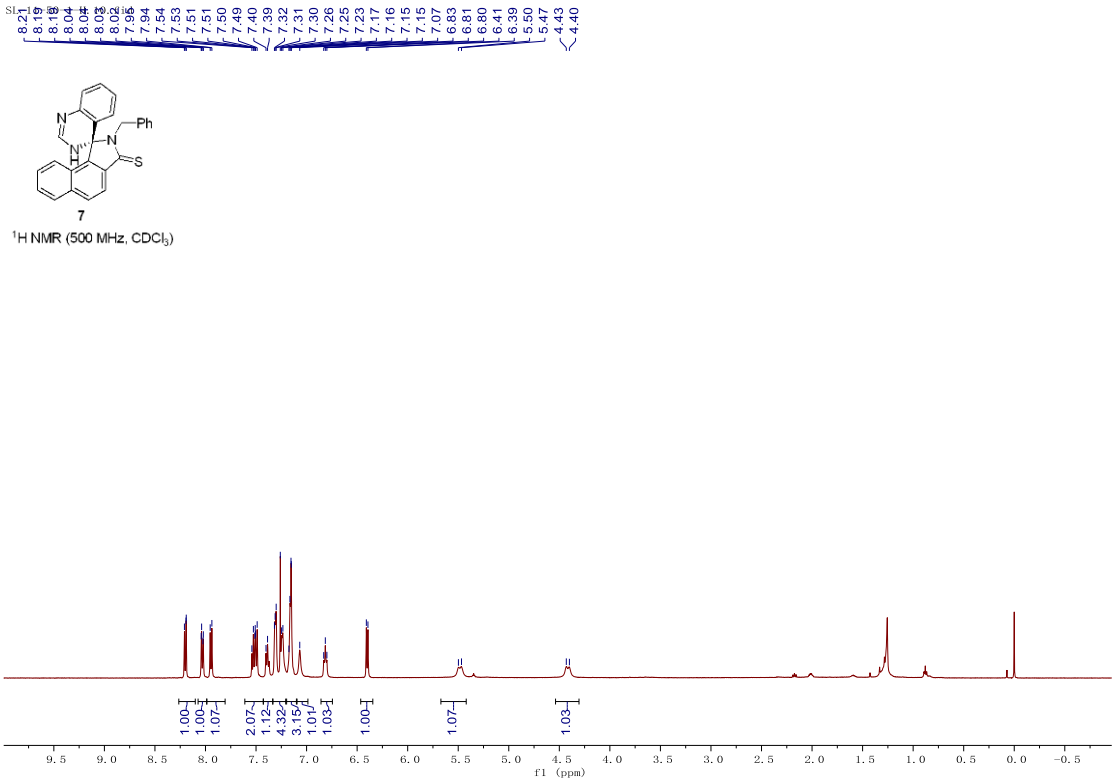
6

^{1}H NMR (500 MHz, $CDCl_3$)



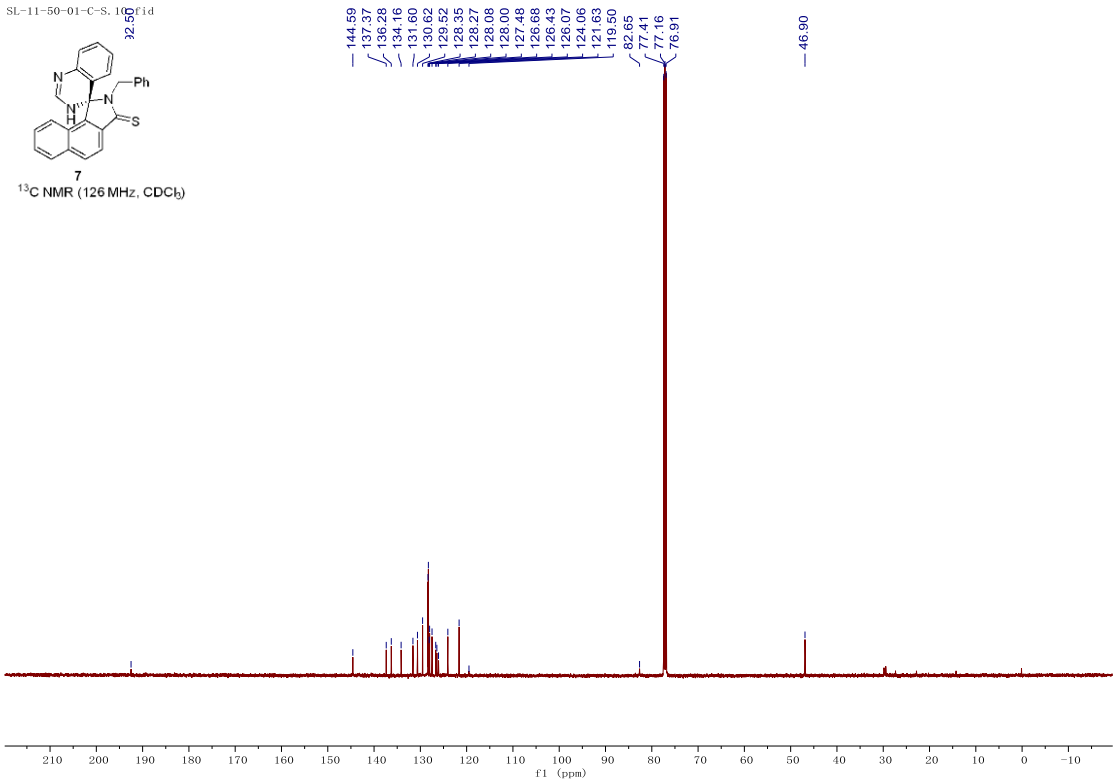
6

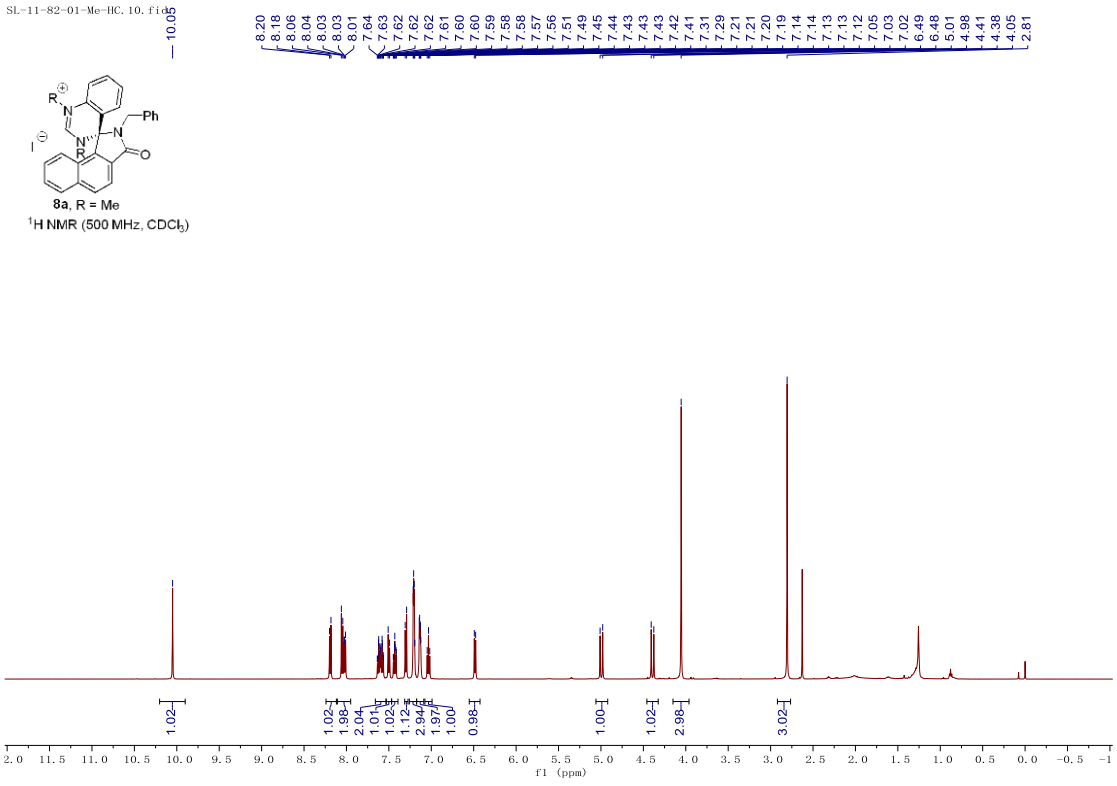
^{13}C NMR (126 MHz, $CDCl_3$)

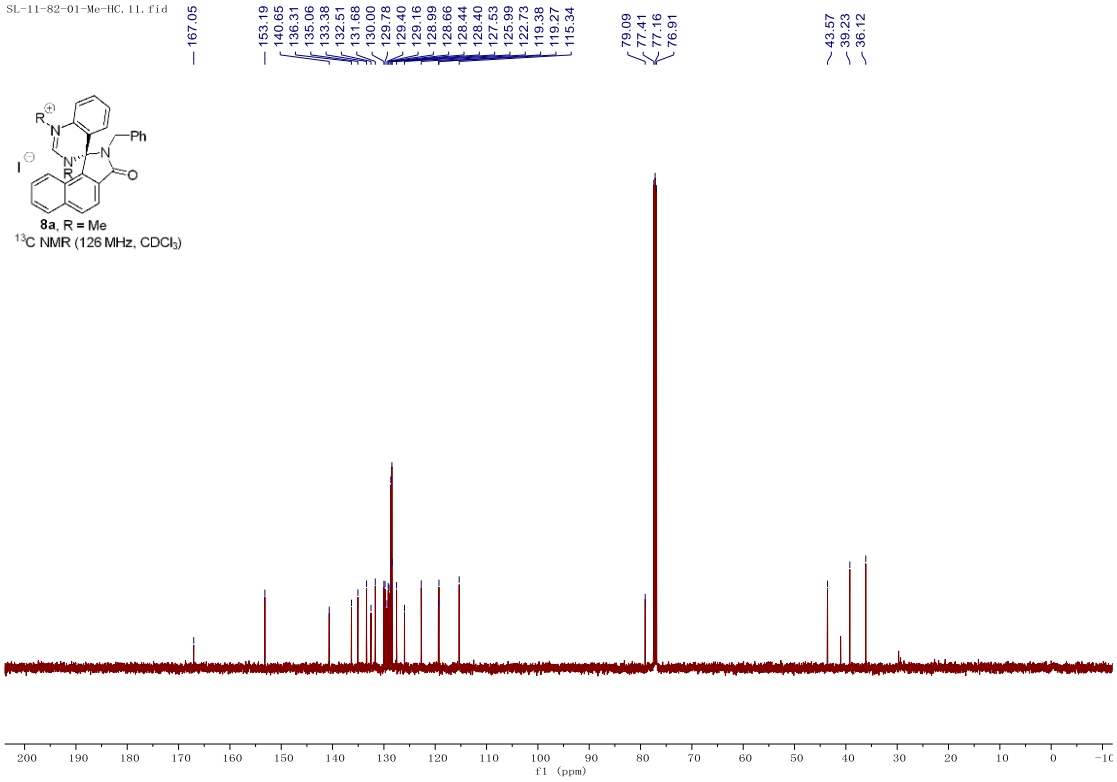


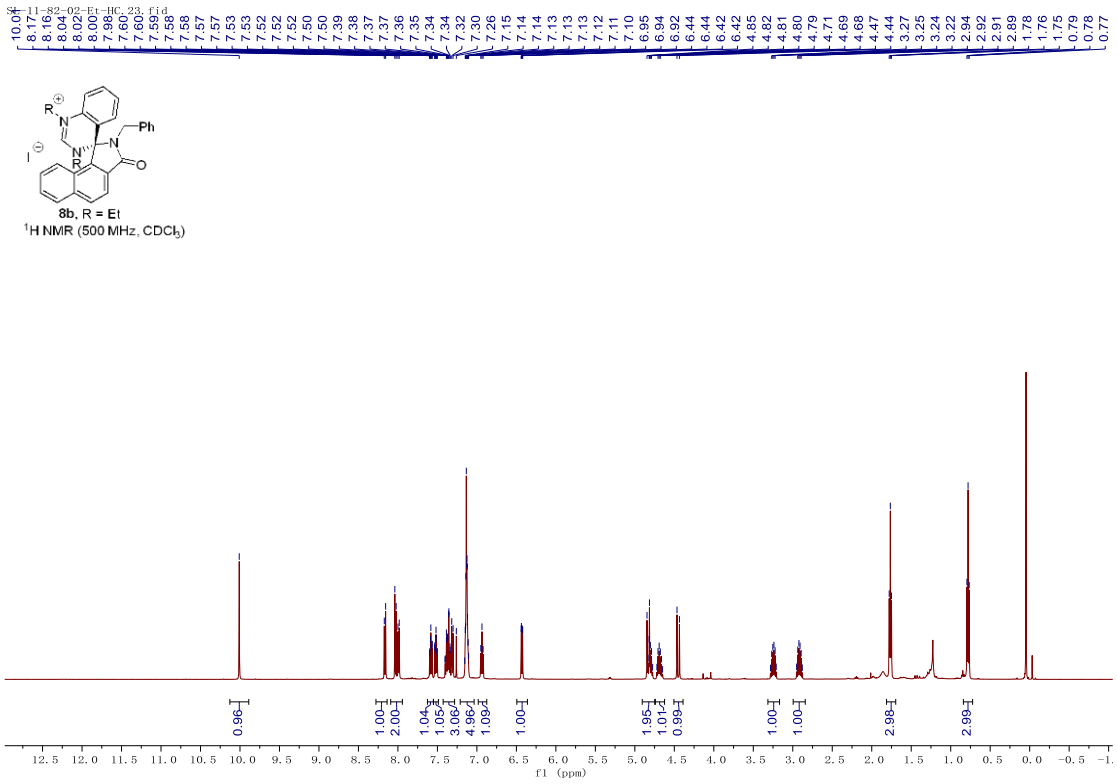
7

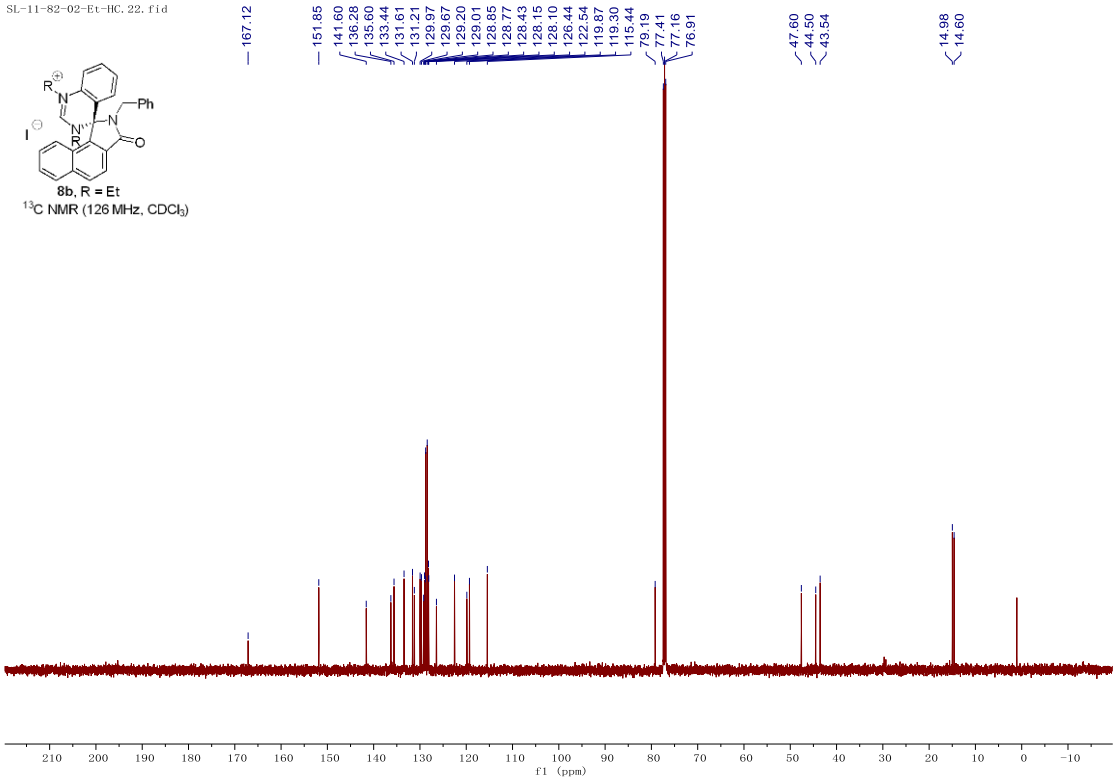
^{1}H NMR (500 MHz, $CDCl_3$)

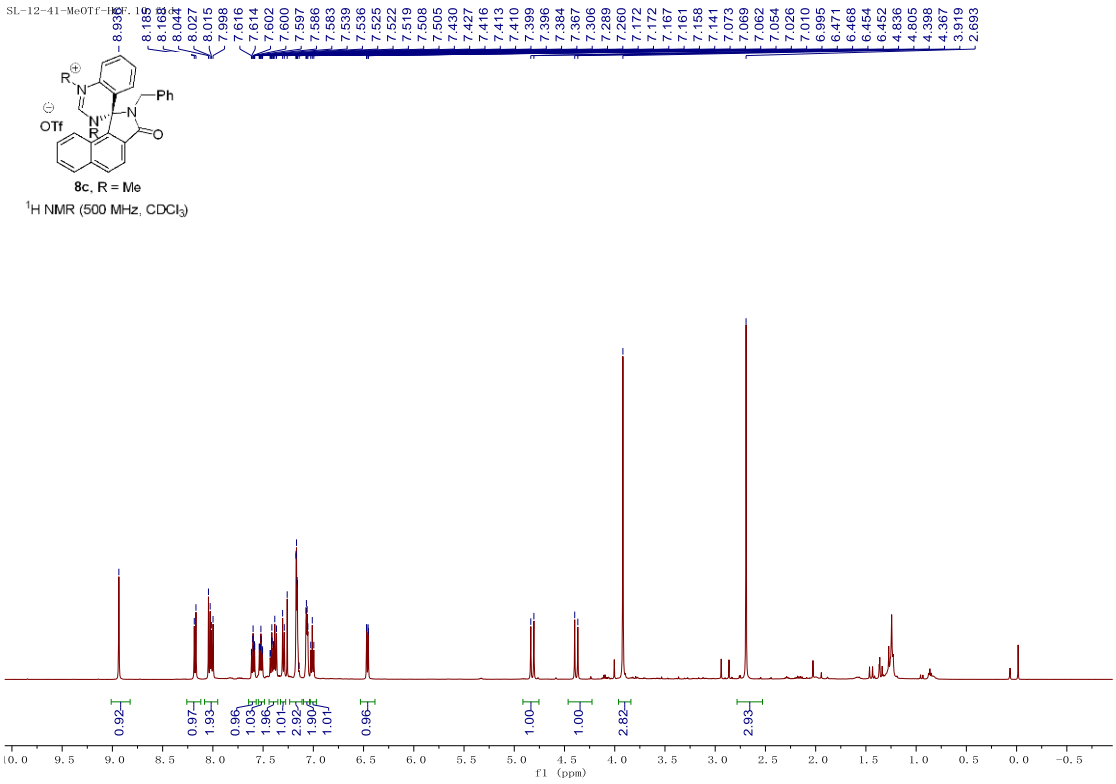

SL-11-50-01-C-S. 10.fid
32.50
144.59
137.37
136.28
134.16
131.60
130.62
129.52
128.35
128.27
128.08
128.00
127.48
126.88
126.43
126.07
124.06
121.63
119.50
82.65
77.41
77.16
76.91
46.90
N
Ph
N
N
H
S
7
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SL-11-82-01-Me-HC. 10. fid
10.05
8.20
8.18
8.06
8.04
8.03
8.03
8.01
7.64
7.63
7.62
7.62
7.62
7.61
7.60
7.60
7.59
7.58
7.58
7.57
7.56
7.51
7.49
7.45
7.44
7.43
7.43
7.43
7.42
7.41
7.31
7.29
7.21
7.21
7.20
7.19
7.14
7.14
7.13
7.13
7.12
7.05
7.03
7.02
6.49
6.48
5.01
4.98
4.41
4.38
4.05
2.81
R
N
Ph
N
I
R
O
8a, R = Me
1H NMR (500 MHz, CDCl3)
1.02
1.02
1.98
2.04
1.01
1.02
1.12
2.94
1.97
1.00
0.98
1.00
1.02
2.98
3.02
f1 (ppm)

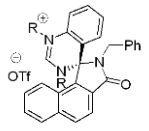

SL-11-82-01-Me-HC.11.fid
167.05
153.19
140.65
136.31
135.06
133.38
132.51
131.68
130.00
129.78
129.40
129.16
128.99
128.66
128.44
128.40
127.53
125.99
122.73
119.38
119.27
115.34
79.09
77.41
77.16
76.91
43.57
39.23
36.12
Ph
N
O
I
8a, R = Me
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SL-11-82-02-Et-HC.23.fid
Ph
N
O
I
8b, R = Et
1H NMR (500 MHz, CDCl3)
0.96
1.00
2.00
1.04
1.05
3.06
4.96
1.09
1.00
1.95
1.01
0.99
1.00
1.00
2.98
2.99
f1 (ppm)


SL-11-82-02-Et-HC.22.fid
167.12
151.85
141.60
136.28
135.60
133.44
131.61
131.21
129.97
129.67
129.20
129.01
128.85
128.77
128.43
128.15
128.10
126.44
122.54
119.87
119.30
115.44
79.19
77.41
77.16
76.91
47.60
44.50
43.54
14.98
14.60
Ph
O
I
8b, R = Et
13C NMR (126 MHz, CDCl3)
f1 (ppm)


SL-12-41-MeOTf-HC.10.fid
8.930
8.185
8.168
8.044
8.027
8.015
7.998
7.616
7.614
7.602
7.600
7.597
7.586
7.583
7.539
7.536
7.525
7.522
7.519
7.508
7.505
7.430
7.427
7.416
7.413
7.410
7.399
7.396
7.384
7.367
7.306
7.289
7.260
7.172
7.167
7.161
7.158
7.141
7.073
7.069
7.062
7.054
7.026
7.010
6.995
6.471
6.468
6.454
6.452
4.836
4.805
4.398
4.367
3.919
2.693
Ph
O
OTf
8c, R = Me
1H NMR (500 MHz, CDCl3)
0.92
0.97
1.93
0.96
1.03
1.96
1.01
2.92
1.90
1.01
0.96
1.00
1.00
2.82
2.93
f1 (ppm)

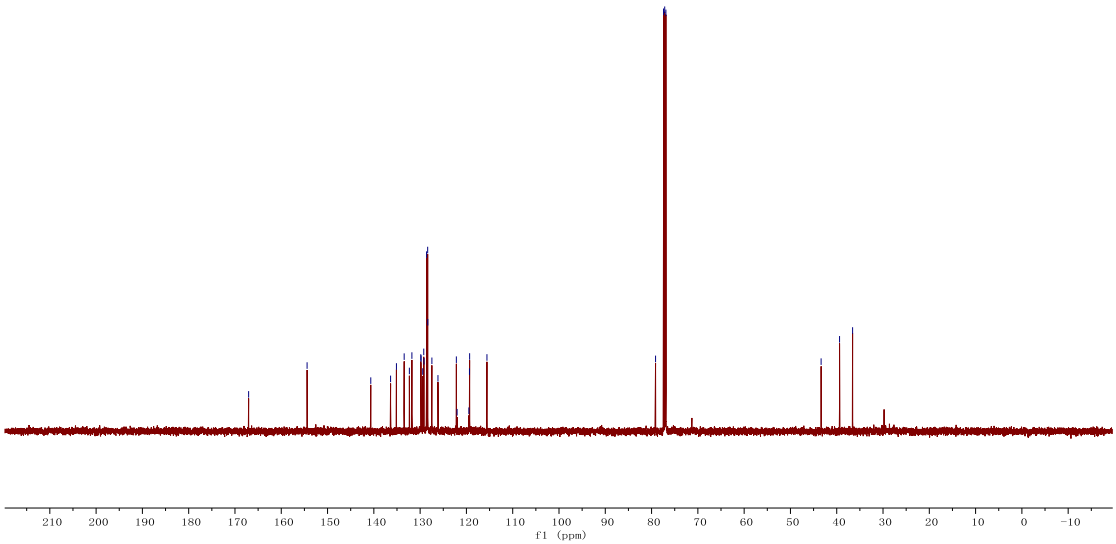
SL-12-41-MeOTf-HCF.12.fid



8c, R = Me

^{13}C NMR (125 MHz, $CDCl_3$)

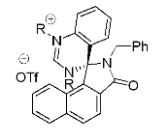
167.05
154.42
140.66
136.38
135.12
133.45
132.33
131.78
129.85
129.79
129.44
129.22
129.16
128.61
128.37
128.35
127.46
126.15
122.18
122.01
119.47
119.34
119.31
115.56
79.14
77.41
77.16
76.91
43.38
39.39
36.57



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)

SL-12-41-MeOTf-HCF.11.fid



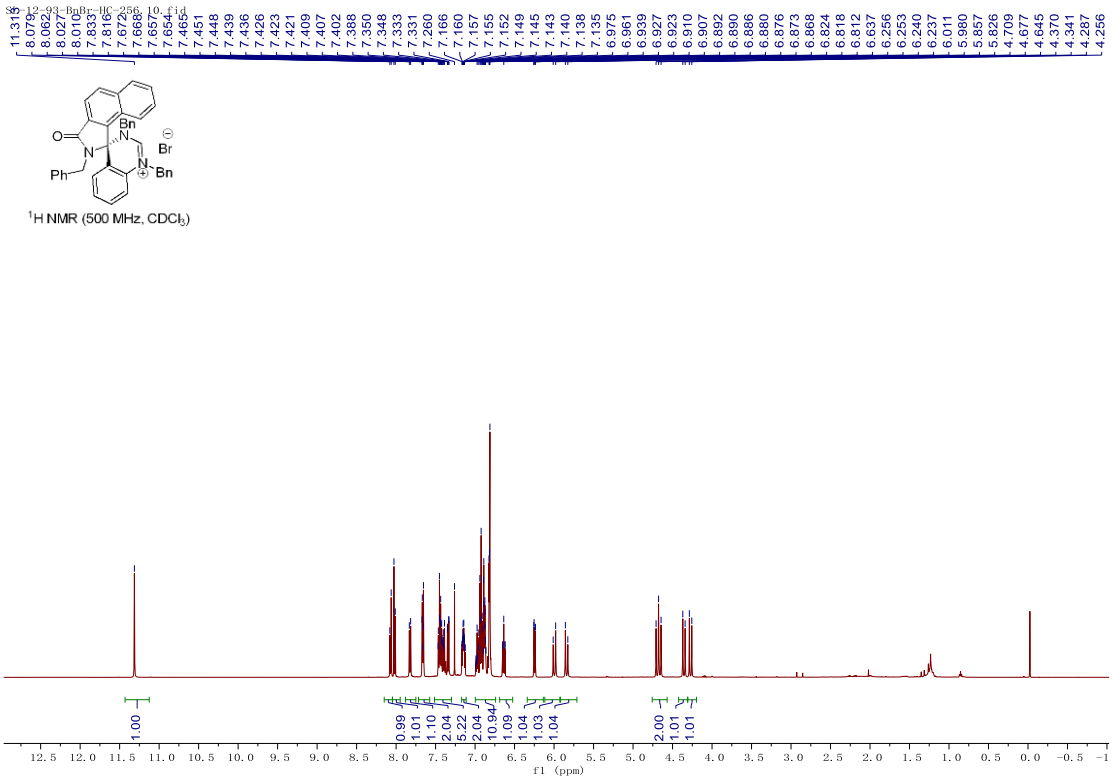
8c, R = Me

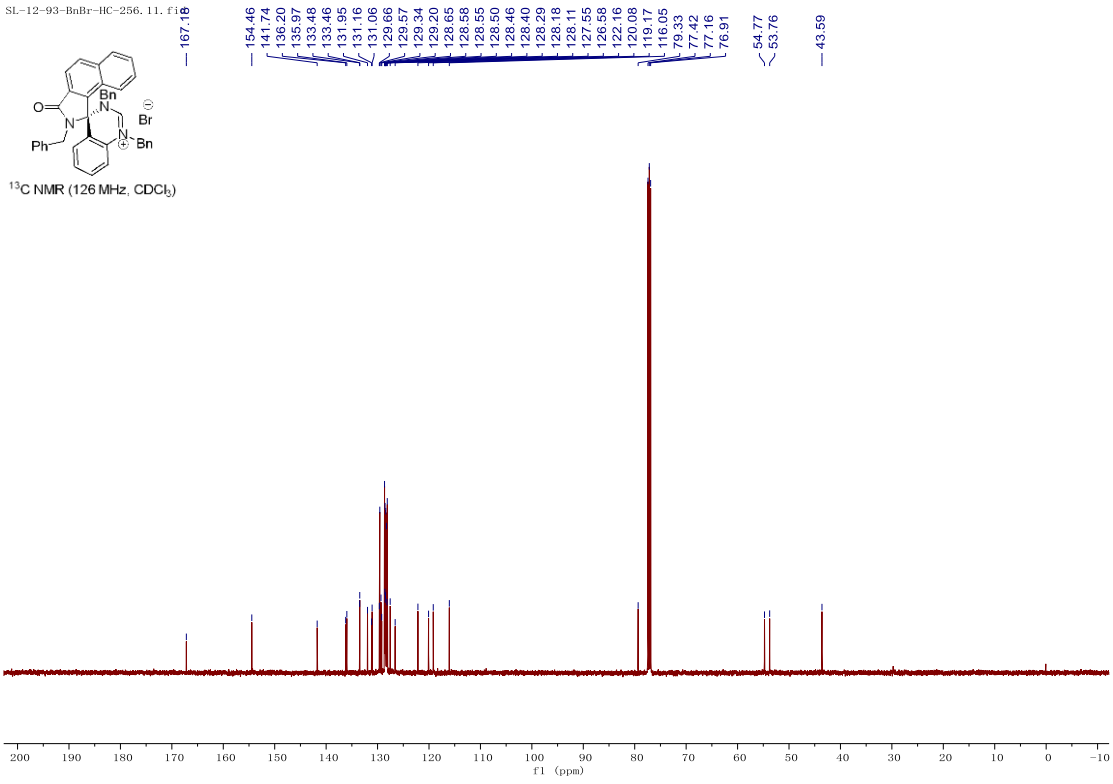
^{19}F NMR (471 MHz, $CDCl_3$)

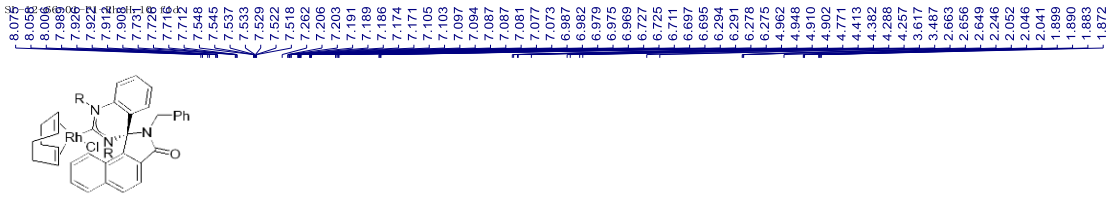
-78.24

20 10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210 −2

f1 (ppm)

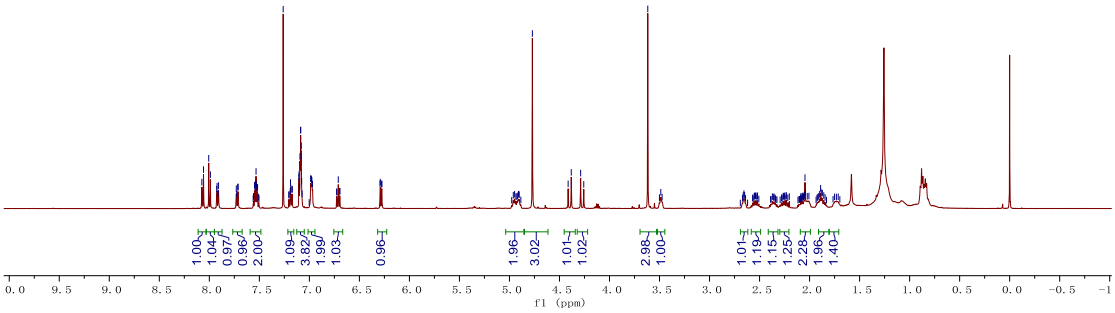

SL-12-93-BnBr-HC-256.10.fid
11.315
8.079
8.062
8.027
8.010
7.833
7.816
7.672
7.668
7.657
7.654
7.465
7.451
7.448
7.439
7.436
7.426
7.423
7.421
7.409
7.407
7.402
7.388
7.350
7.348
7.333
7.331
7.260
7.166
7.160
7.157
7.155
7.152
7.149
7.145
7.143
7.140
7.138
7.135
6.975
6.961
6.939
6.927
6.923
6.910
6.907
6.892
6.890
6.886
6.880
6.876
6.873
6.868
6.824
6.818
6.812
6.637
6.256
6.253
6.240
6.237
6.011
5.980
5.857
5.826
4.709
4.677
4.645
4.370
4.341
4.287
4.256
Bn
N
O
N
Ph
Br
N
Bn
1H NMR (500 MHz, CDCl3)
1.00
0.99
1.01
1.10
2.04
5.22
2.04
10.94
1.09
1.04
1.03
1.04
2.00
1.01
1.01
f1 (ppm)

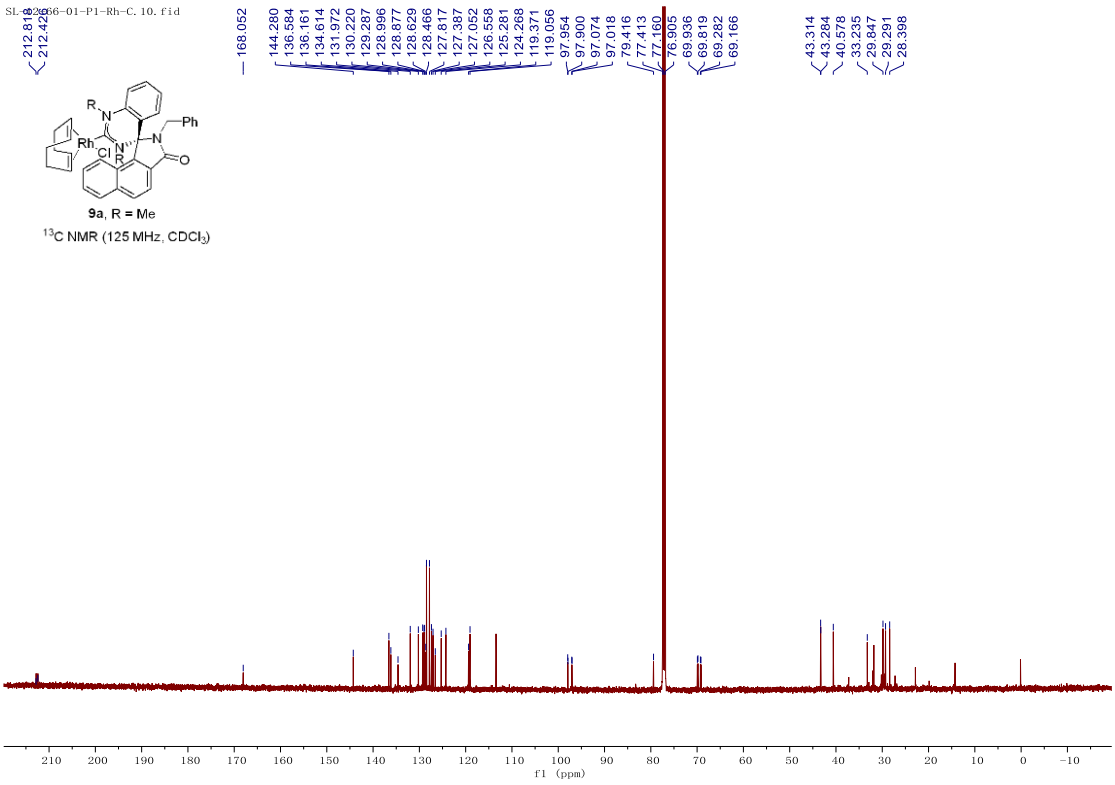

SL-12-93-BnBr-HC-256.11.fid
167.16
154.46
141.74
136.20
135.97
133.48
133.46
131.95
131.16
131.06
129.66
129.57
129.34
129.20
128.65
128.58
128.55
128.50
128.46
128.40
128.29
128.18
128.11
127.55
126.58
122.16
120.08
119.17
116.05
79.33
77.42
77.16
76.91
54.77
53.76
43.59
Bn
N
O
N
Ph
Br
N
Bn
13C NMR (126 MHz, CDCl3)
f1 (ppm)



9a, R = Me

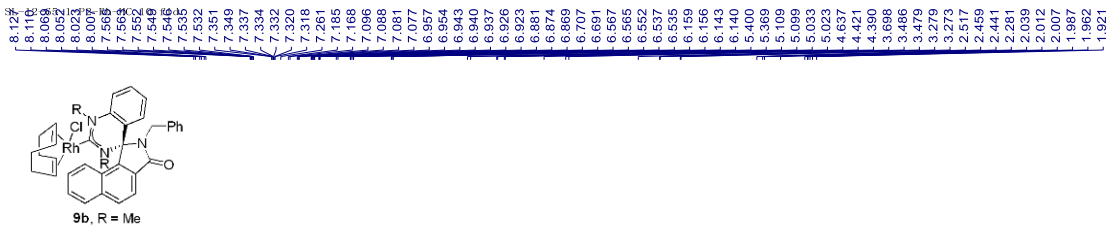
^{1}H NMR (500 MHz, $CDCl_3$)





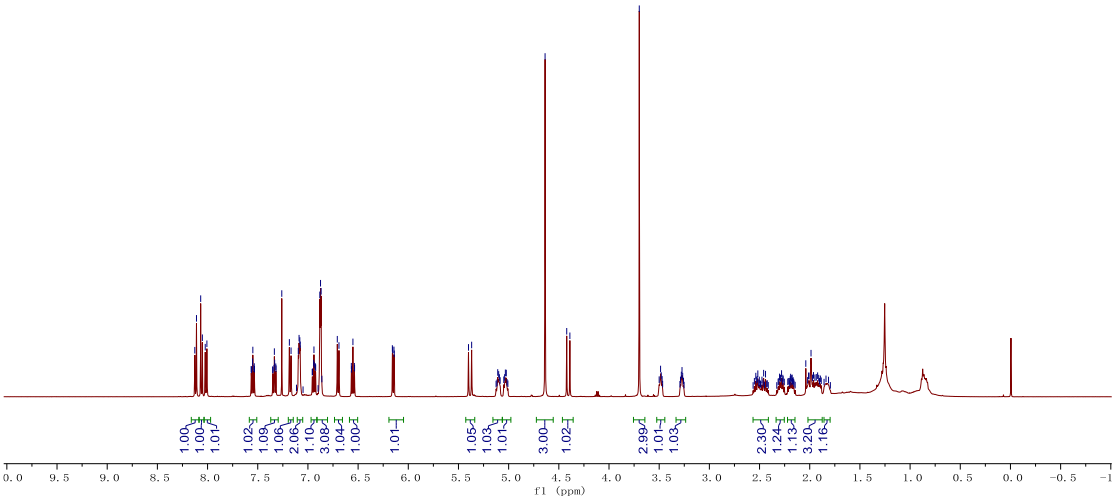
9a, R = Me

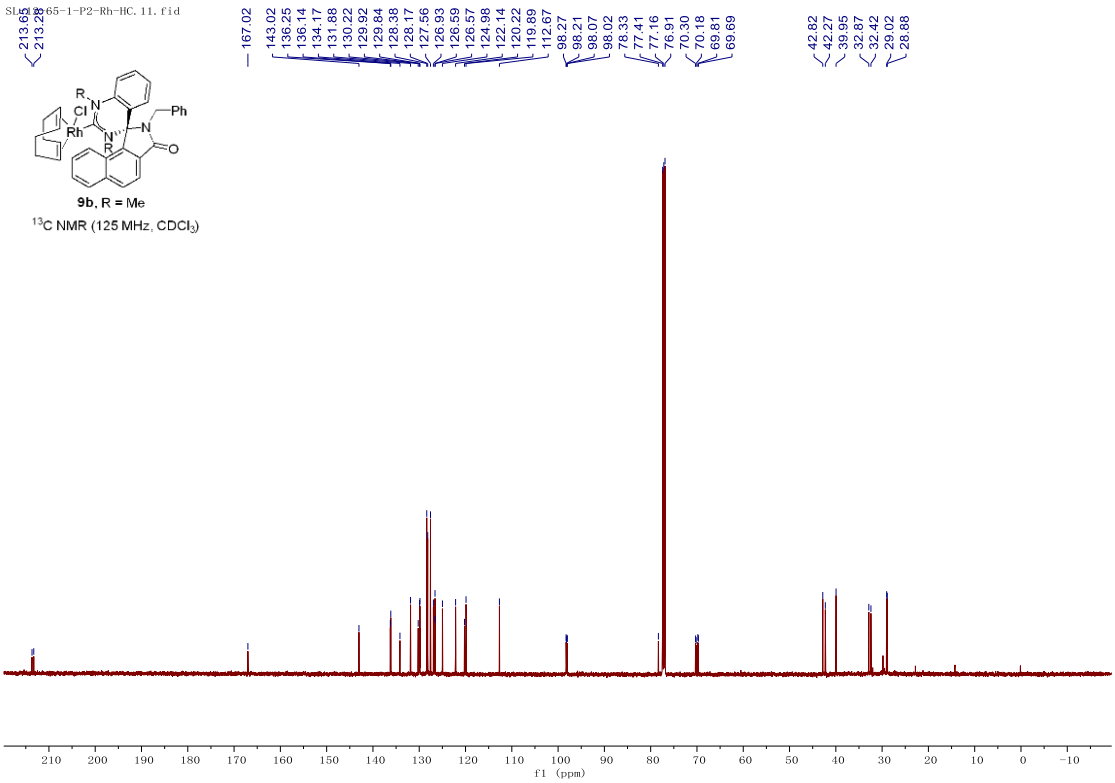
^{13}C NMR (125 MHz, $CDCl_3$)



9b, R = Me

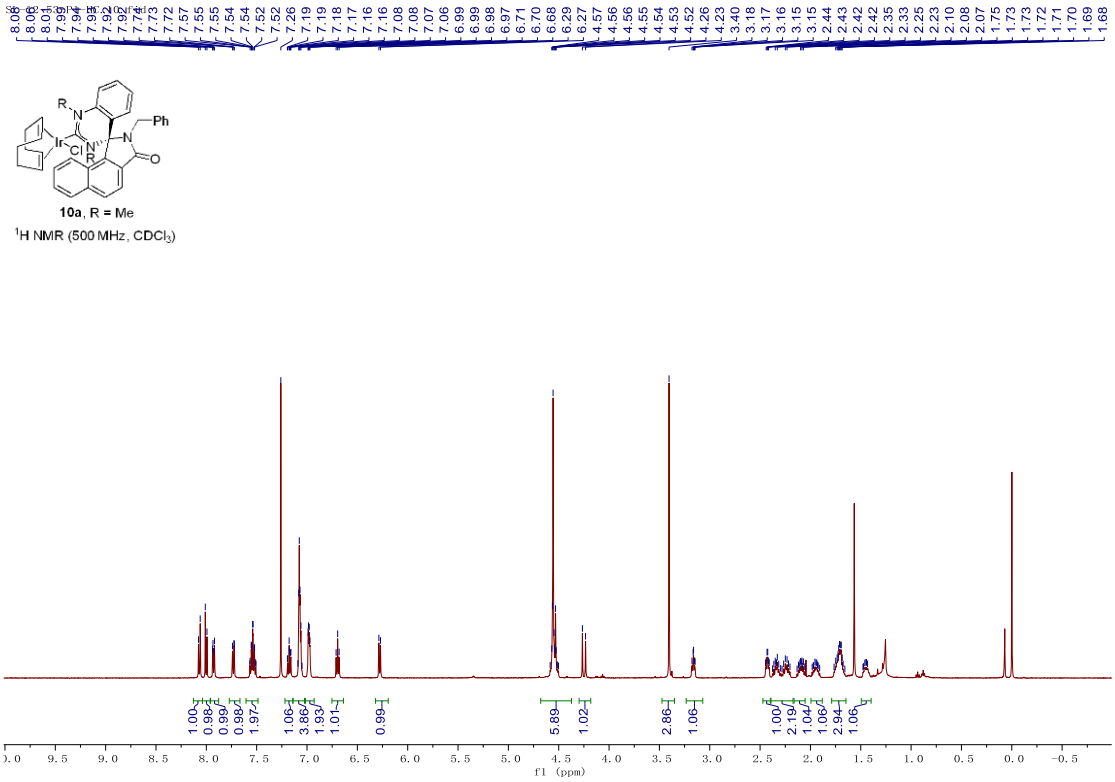
^{1}H NMR (500 MHz, $CDCl_3$)

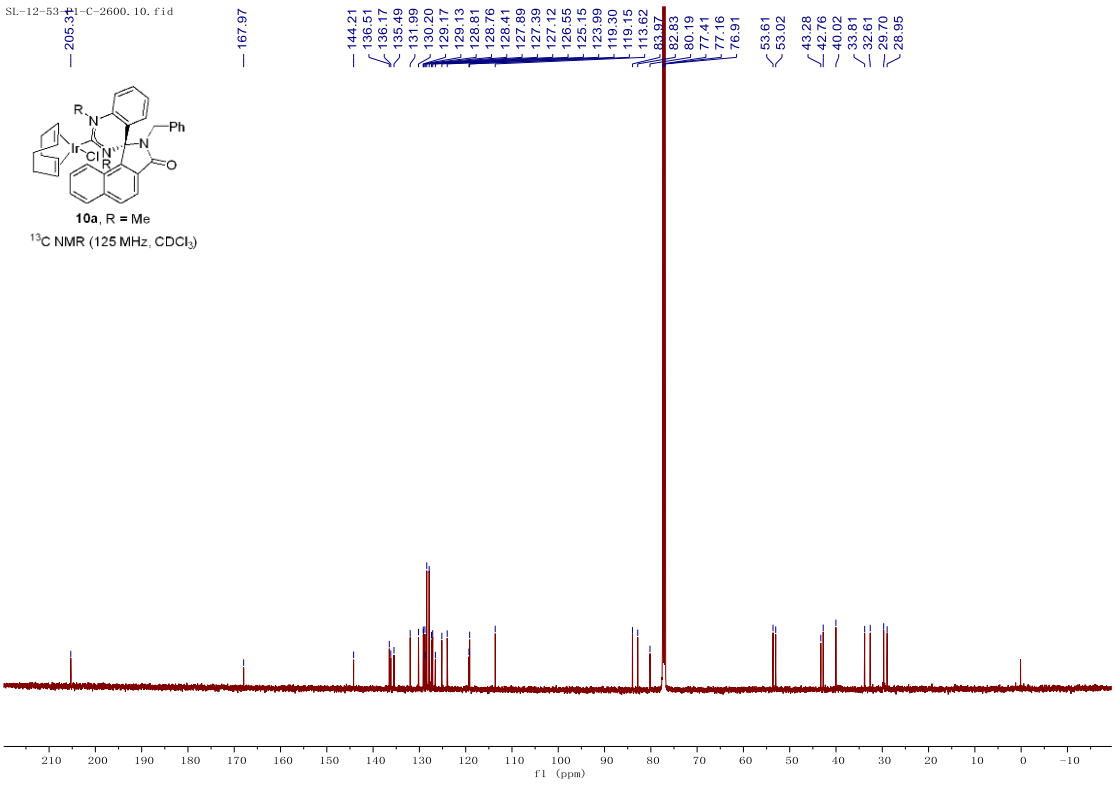


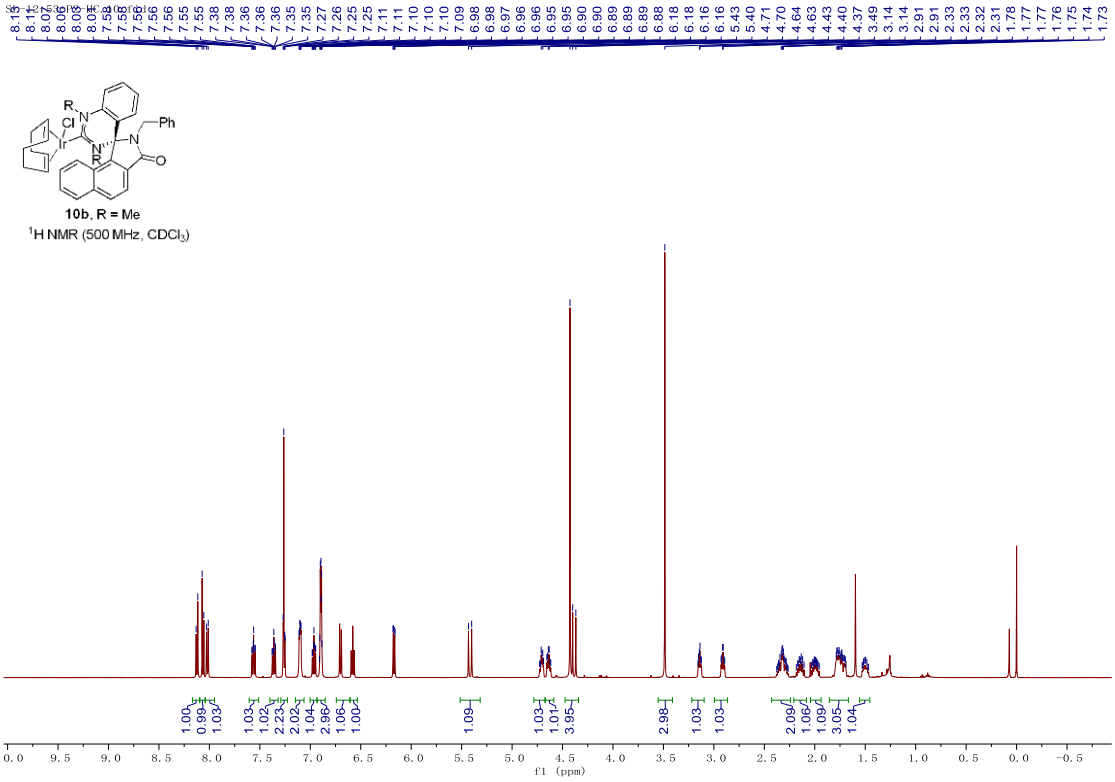


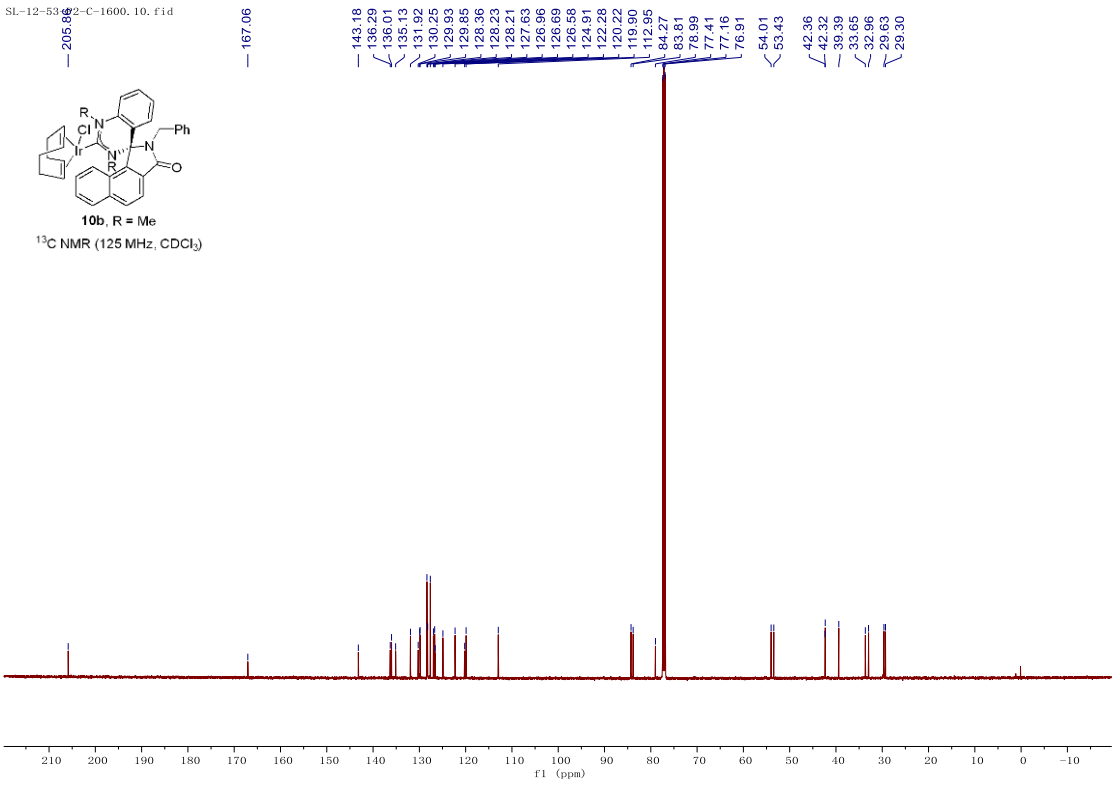
9b, R = Me

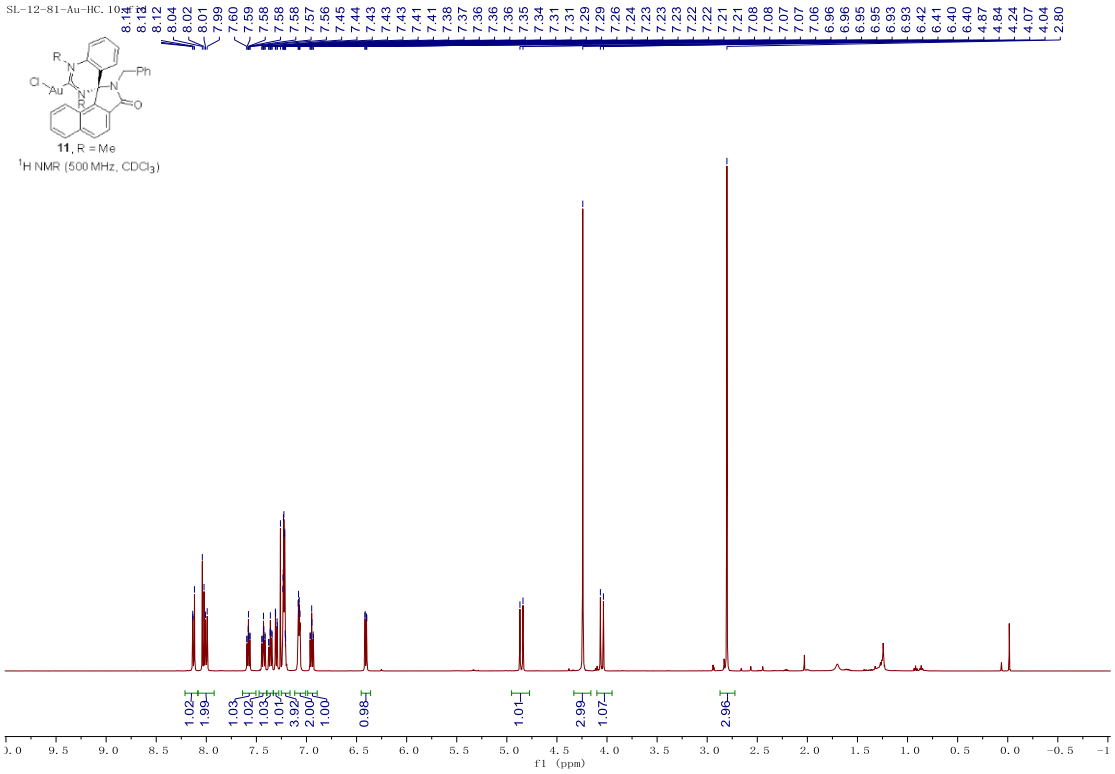
^{13}C NMR (125 MHz, $CDCl_3$)

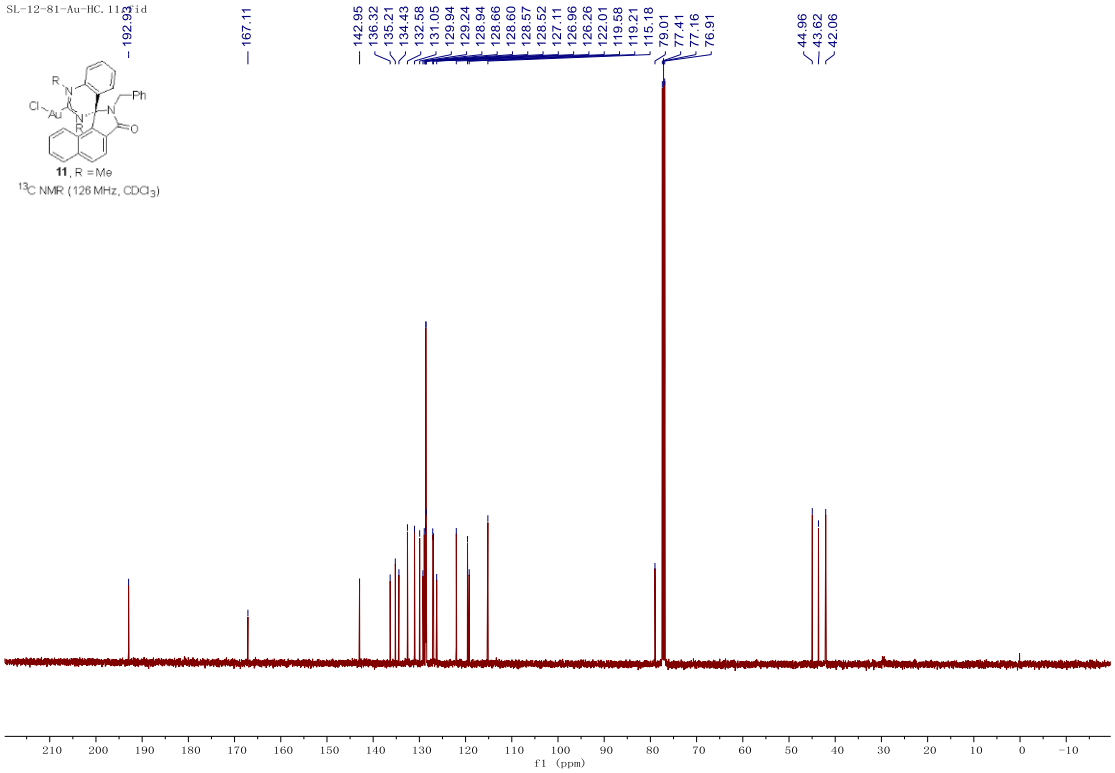

8.06 8.05 8.03 8.01 7.99 7.94 7.93 7.92 7.92 7.74 7.73 7.72 7.57 7.55 7.54 7.54 7.52 7.52 7.26 7.19 7.19 7.18 7.17 7.16 7.16 7.08 7.08 7.07 7.06 6.99 6.99 6.98 6.97 6.71 6.70 6.68 6.29 6.27 4.57 4.56 4.56 4.55 4.54 4.53 4.52 4.26 4.23 3.40 3.18 3.17 3.16 3.15 3.15 2.44 2.43 2.42 2.42 2.35 2.33 2.25 2.23 2.10 2.08 2.07 1.75 1.73 1.72 1.71 1.70 1.69 1.68
R
N
Ir
Cl
N
R
Ph
N
O
10a, R = Me
1H NMR (500 MHz, CDCl3)
1.00 0.98 0.99 0.98 1.97 1.06 3.86 1.93 1.01 0.99 5.89 1.02 2.86 1.06 1.00 2.19 1.04 1.06 2.94 1.06
f1 (ppm)

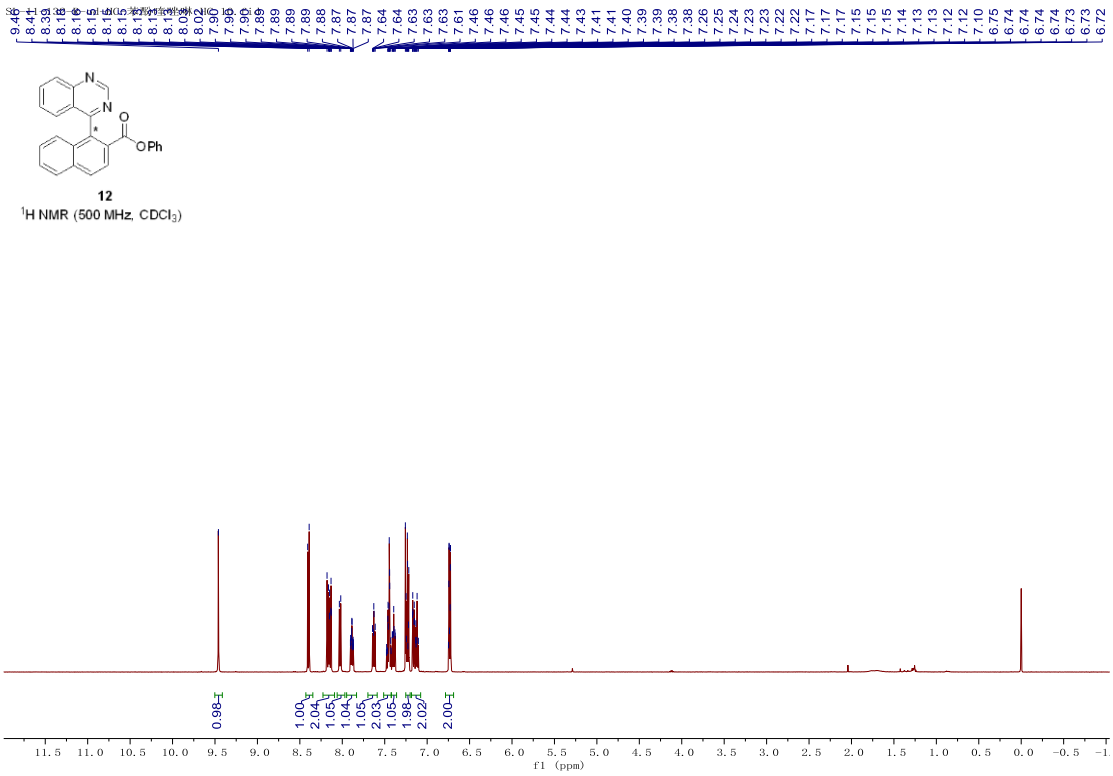

SL-12-53-1-1-C-2600.10.fid
205.31 167.97 144.21 136.51 136.17 135.49 131.99 130.20 129.17 129.13 128.81 128.76 128.41 127.89 127.39 127.12 126.55 125.15 123.99 119.30 119.15 113.62 83.97 82.83 80.19 77.41 77.16 76.91 53.61 53.02 43.28 42.76 40.02 33.81 32.61 29.70 28.95
R
N
Ir
Cl
N
R
Ph
N
O
10a, R = Me
13C NMR (125 MHz, CDCl3)
f1 (ppm)

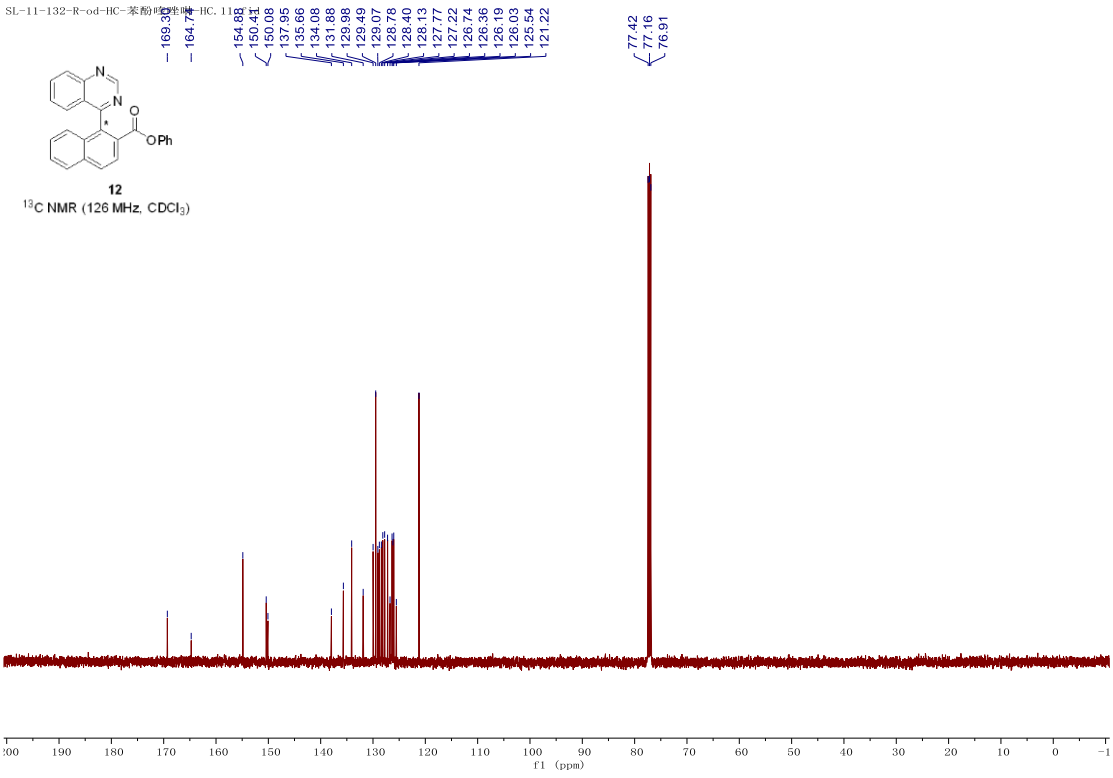

10b, R = Me
1H NMR (500 MHz, CDCl3)
f1 (ppm)

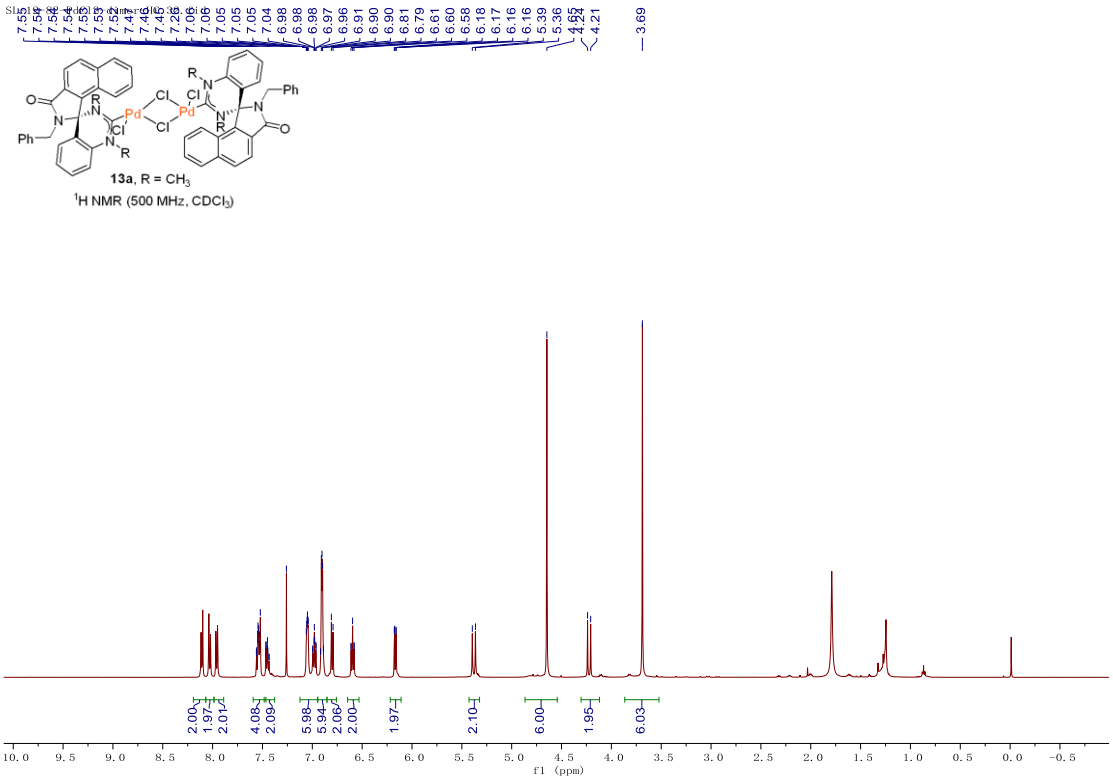

10b, R = Me
13C NMR (125 MHz, CDCl3)
f1 (ppm)

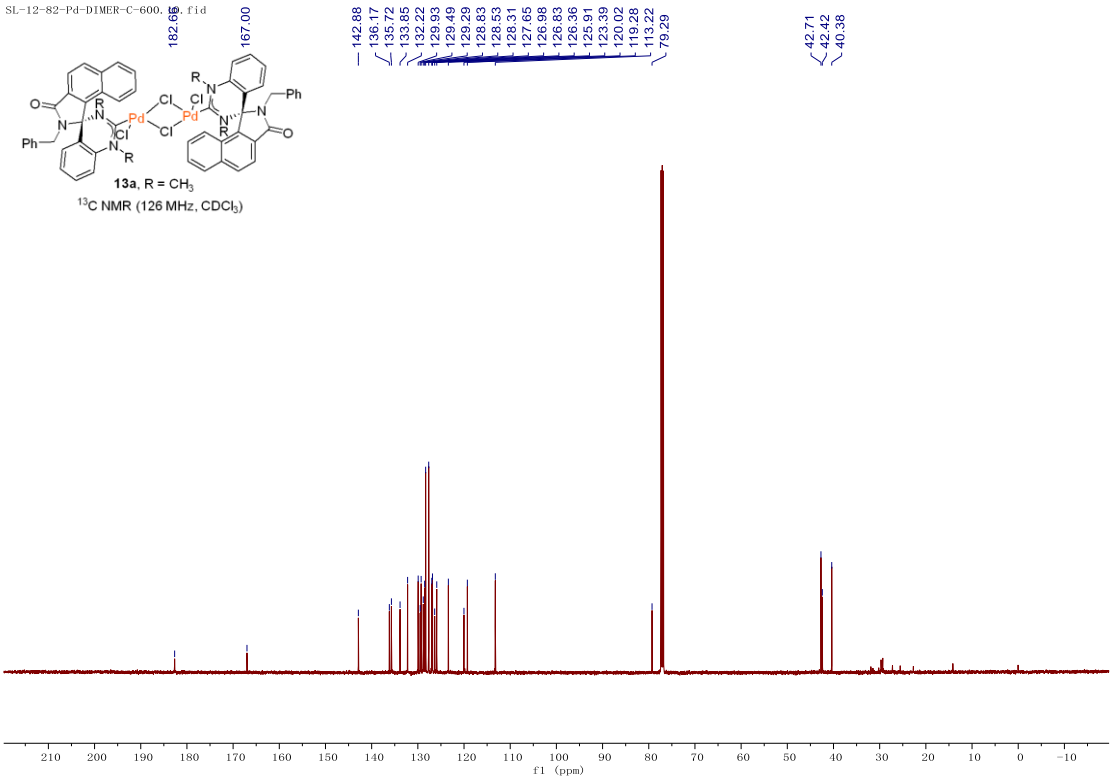

11, R = Me
1H NMR (500 MHz, CDCl3)
f1 (ppm)


11, R = Me
13C NMR (126 MHz, CDCl3)
f1 (ppm)

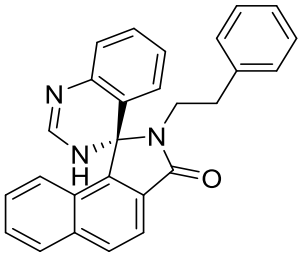

OPh
12
1H NMR (500 MHz, CDCl3)
f1 (ppm)


OPh
12
13C NMR (126 MHz, CDCl3)
f1 (ppm)

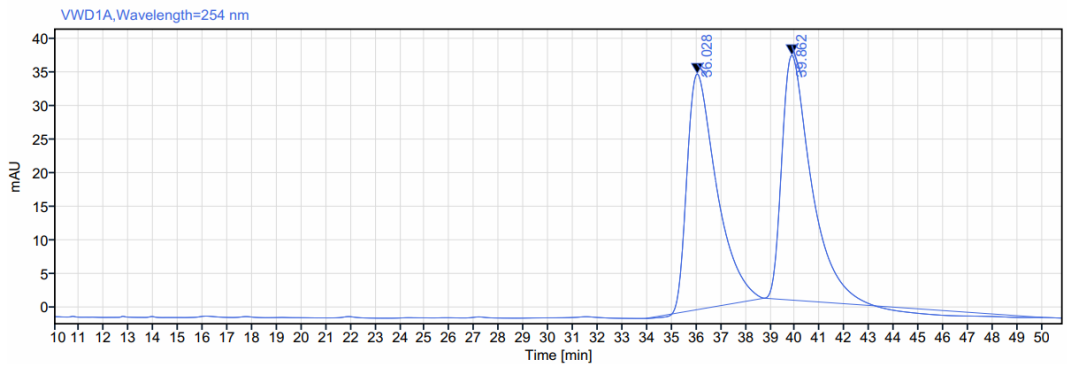

13a, R = CH3
1H NMR (500 MHz, CDCl3)
f1 (ppm)


13a, R = CH3
13C NMR (126 MHz, CDCl3)
f1 (ppm)

HPLC Chromatograms

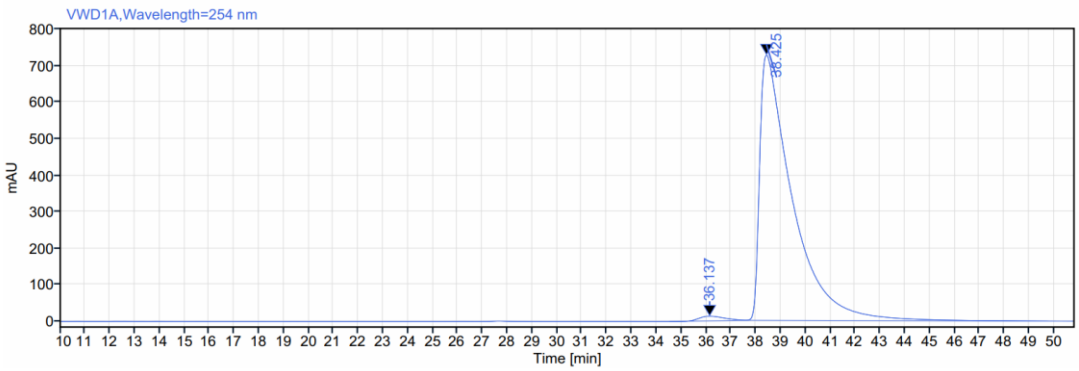


4aa



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
36.028	MM m	4.79	2934.09	35.11	50.54	
39.862	MM m	11.29	2871.19	36.47	49.46	
		Sum	**5805.28**			

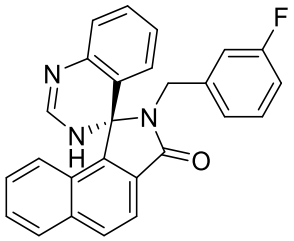


Signal: VWD1A,Wavelength=254 nm

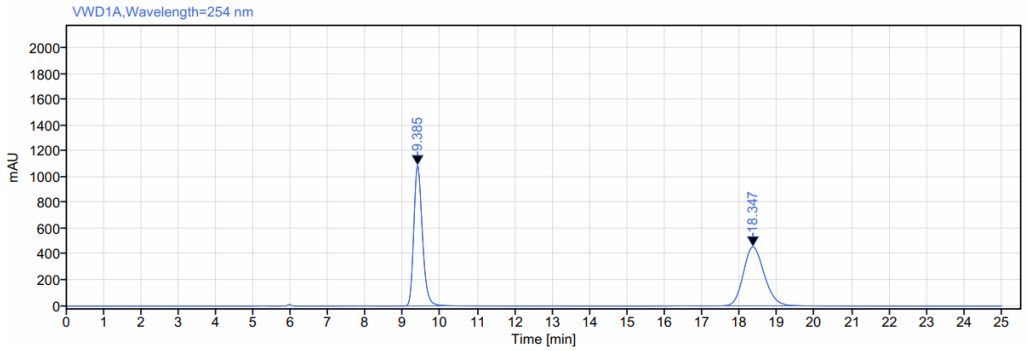
RT [min]	Type	Width [min]	Area	Height	Area%	Name
36.137	MM m	3.06	884.93	12.84	1.32	
38.425	MM m	12.16	66176.74	726.71	98.68	
		Sum	**67061.67**			

HPLC (Chiralpak IA-3): t_R = 38.43 (major), 36.14 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 0.7 mL/min, 25 ºC, 254 nm.

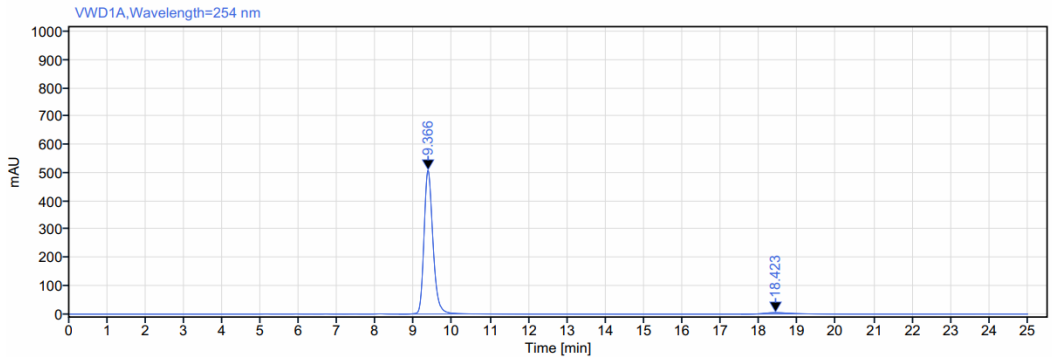


4ab



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.385	MM m	1.47	17394.08	1087.19	49.61	
18.347	MM m	2.31	17665.40	456.27	50.39	
		Sum	**35059.48**			

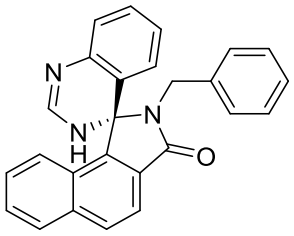


Signal: VWD1A,Wavelength=254 nm

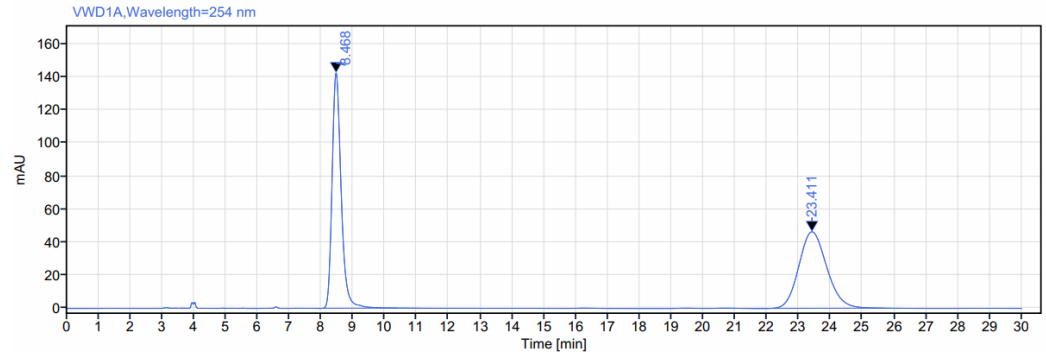
RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.366	MM m	1.98	8243.36	509.23	97.50	
18.423	MM m	1.75	211.34	5.00	2.50	
		Sum	**8454.71**			

HPLC (Chiralpak IC-3): t_R = 9.37 (major), 18.42 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

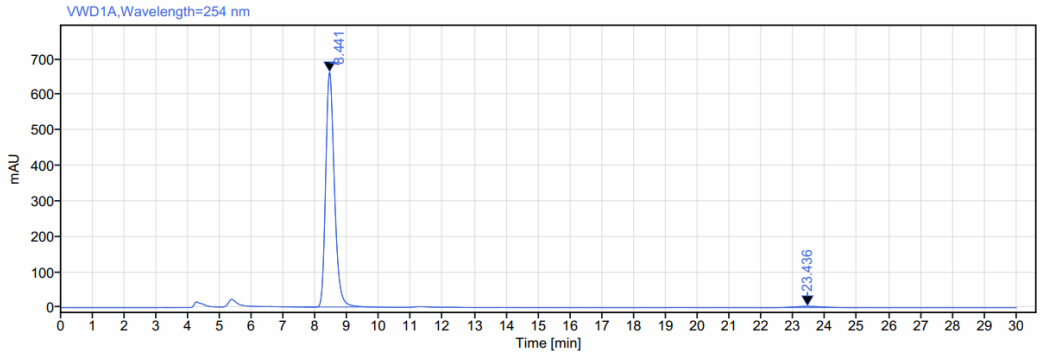


4ac



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.468	MM m	2.65	2818.80	142.49	49.97	
23.411	MM m	4.13	2821.82	46.35	50.03	
		Sum	**5640.62**			

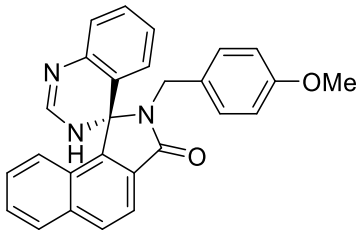


Signal: VWD1A,Wavelength=254 nm

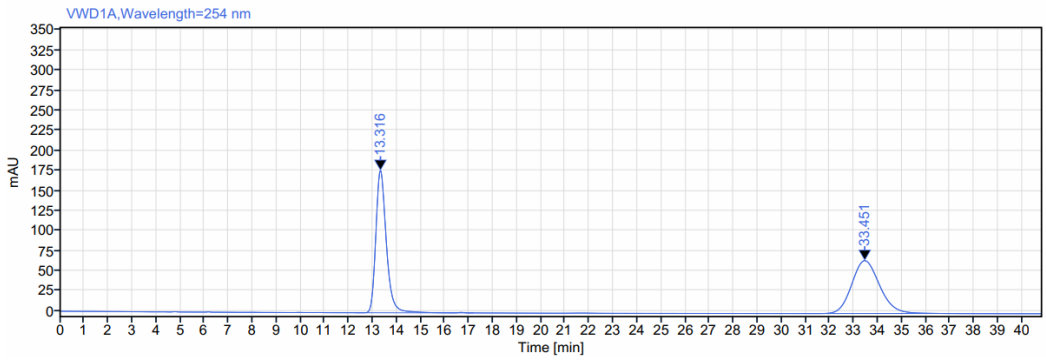
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.441	MM m	2.12	12607.47	662.37	98.10	
23.436	MM m	3.05	244.12	3.98	1.90	
		Sum	**12851.59**			

HPLC (Chiralpak IC-3): t_R = 8.44 (major), 23.44 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

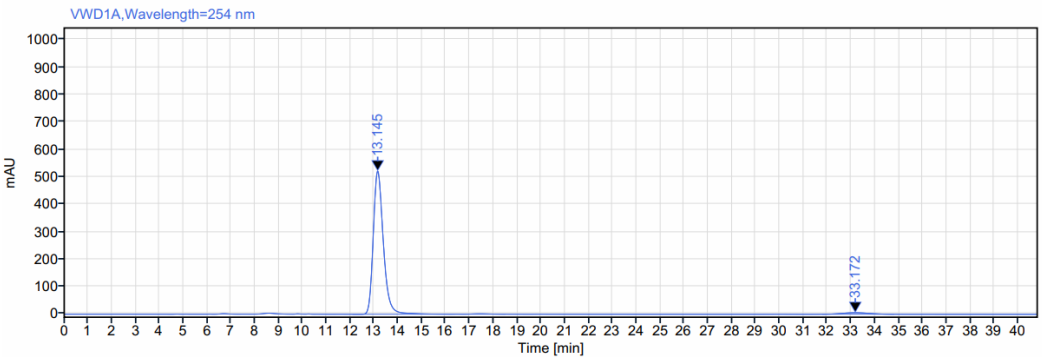


4ad



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.316	MM m	4.90	5400.17	177.31	50.52	
33.451	MM m	5.46	5288.48	65.88	49.48	
		Sum	**10688.65**			

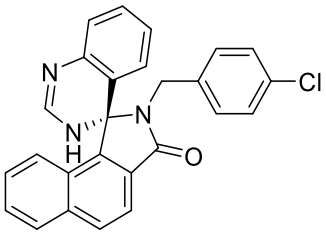


Signal: VWD1A,Wavelength=254 nm

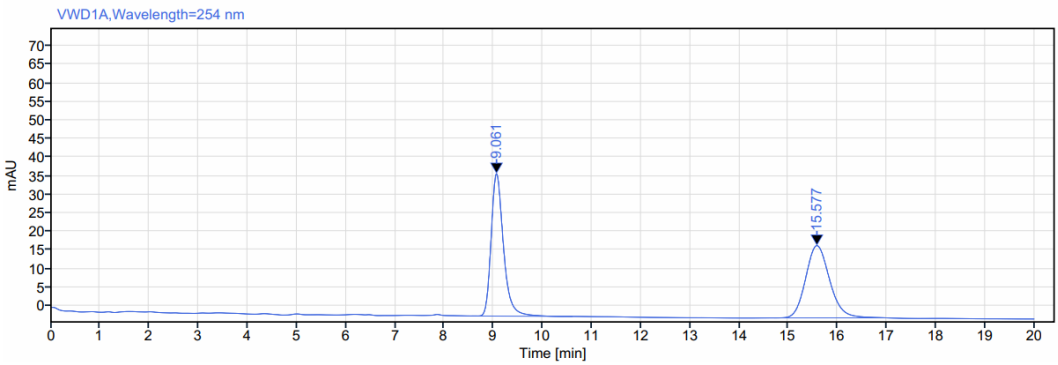
RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.145	MM m	6.41	15386.36	520.97	97.23	
33.172	MM m	3.01	438.76	5.65	2.77	
		Sum	**15825.13**			

HPLC (Chiralpak IC-3): t_R = 13.15 (major), 33.17 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

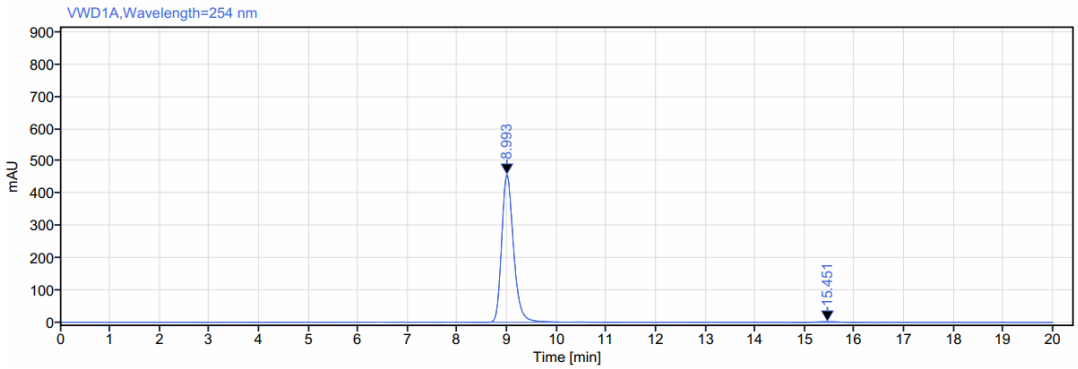


4ae



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.061	MM m	2.05	669.21	38.40	50.37	
15.577	MM m	2.28	659.45	19.49	49.63	
		Sum	**1328.66**			

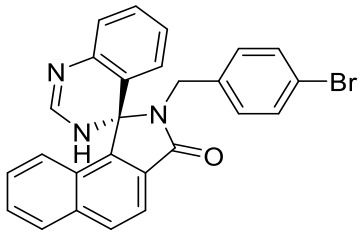


Signal: VWD1A,Wavelength=254 nm

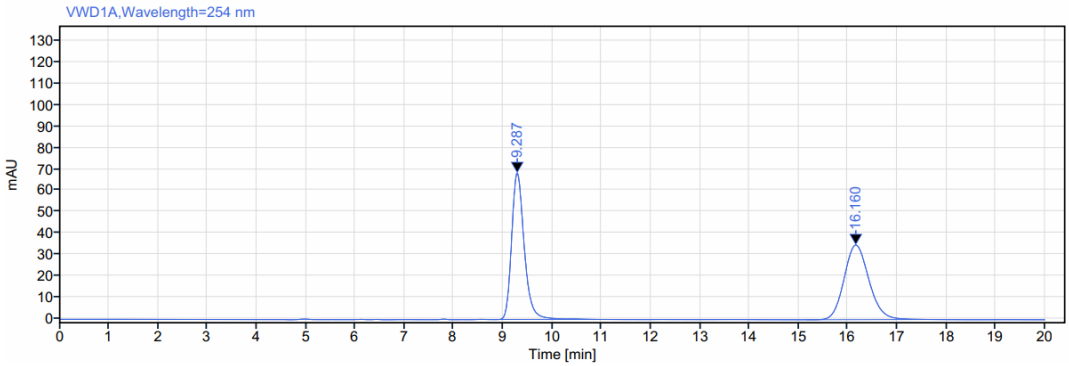
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.993	MM m	2.98	7645.47	458.35	99.14	
15.451	MM m	1.47	66.14	2.03	0.86	
		Sum	**7711.61**			

HPLC (Chiralpak IC-3): t_R = 8.99 (major), 15.45 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

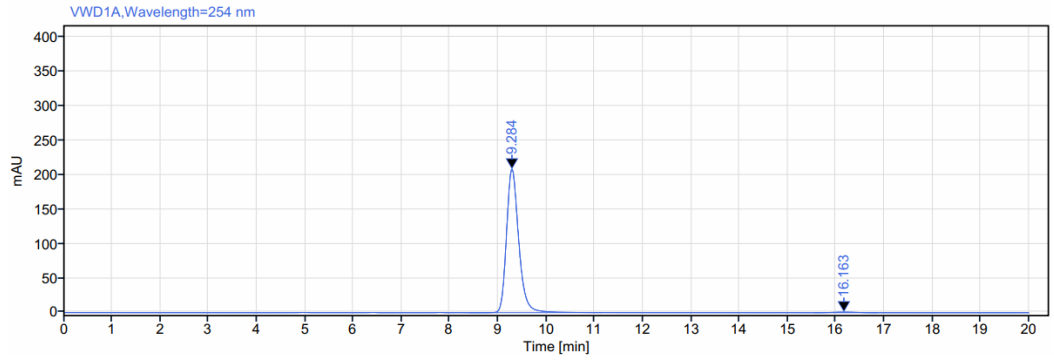


4af



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.287	MM m	2.11	1214.57	68.26	49.94	
16.160	MM m	2.53	1217.36	34.78	50.06	
		Sum	**2431.93**			

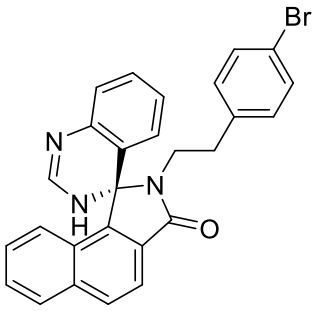


Signal: VWD1A,Wavelength=254 nm

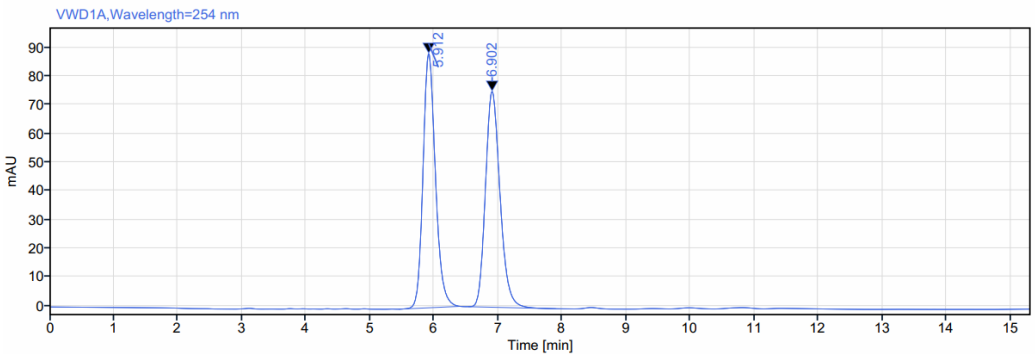
RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.284	MM m	2.76	3675.13	208.71	99.22	
16.163	MM m	1.30	28.79	0.86	0.78	
		Sum	**3703.92**			

HPLC (Chiralpak IC-3): t_R = 9.28 (major), 16.16 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

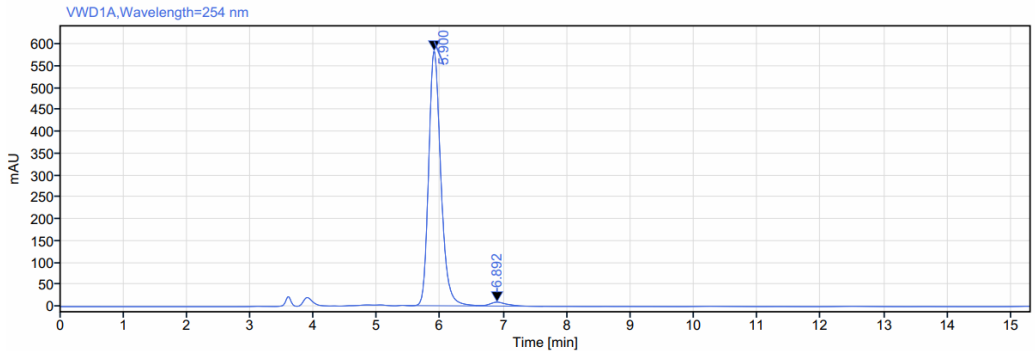


4ag



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.912	MM m	0.96	1190.36	88.56	50.01	
6.902	MM m	1.37	1190.11	75.17	49.99	
		Sum	**2380.47**			

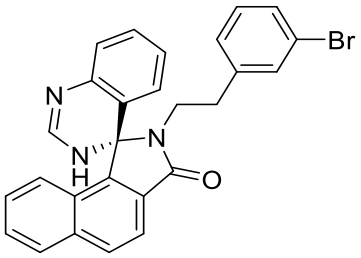


Signal: VWD1A,Wavelength=254 nm

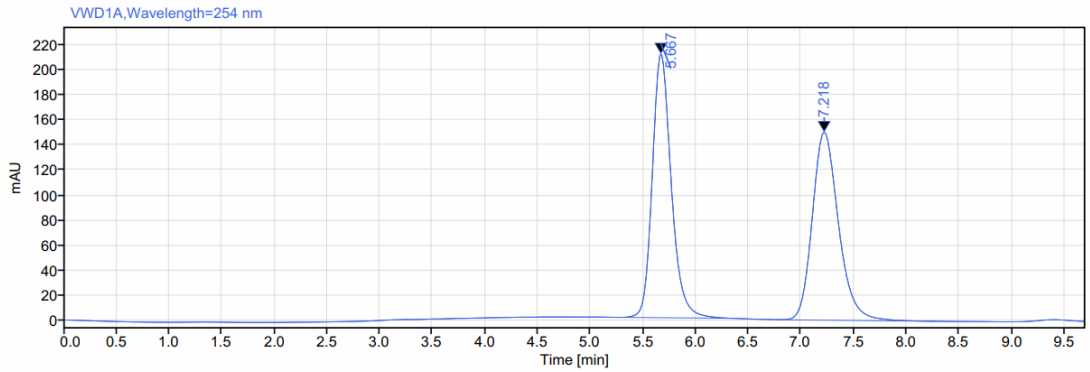
RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.900	MM m	1.11	7769.18	583.13	97.82	
6.892	MM m	1.05	173.13	8.98	2.18	
		Sum	**7942.31**			

HPLC (Chiralpak IC-3): t_R = 6.89 (major), 5.90 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

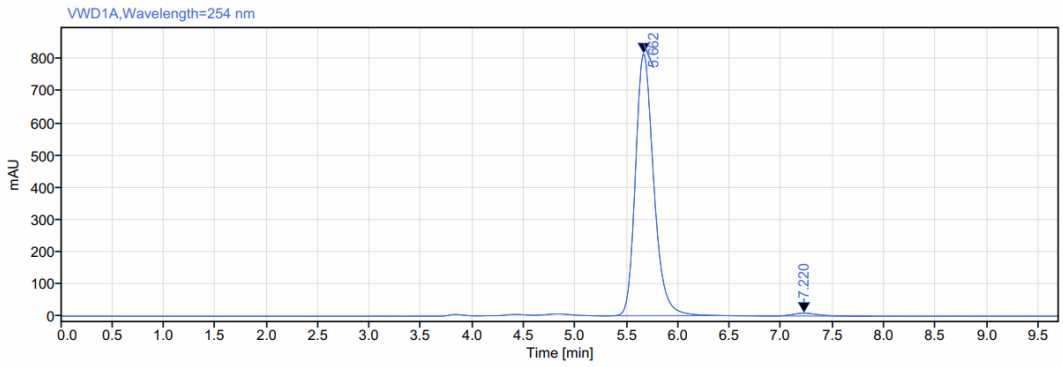


4ah



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.667	MM m	1.12	2633.97	209.72	50.47	
7.218	MM m	1.51	2585.27	149.46	49.53	
		Sum	**5219.24**			

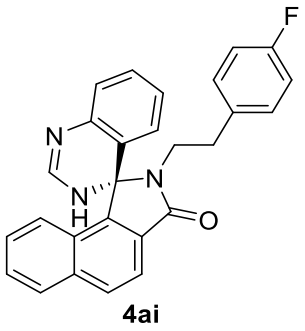


Signal: VWD1A,Wavelength=254 nm

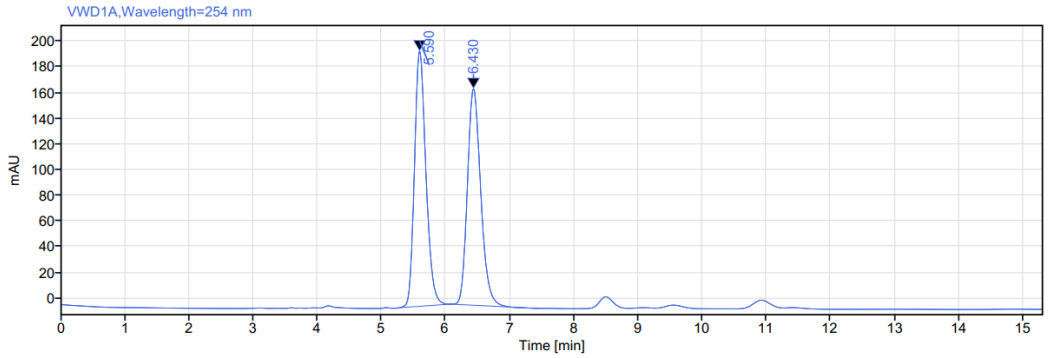
RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.662	MM m	1.24	10080.00	815.92	98.52	
7.220	MM m	1.10	151.05	8.94	1.48	
		Sum	**10231.05**			

HPLC (Chiralpak IC-3): t_R = 7.22 (major), 5.66 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

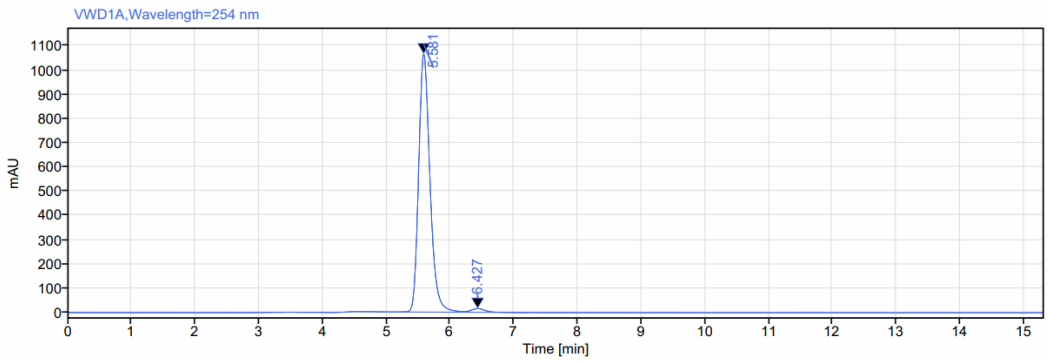


4ai



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.590	MM m	0.91	2465.54	198.77	50.32	
6.430	MM m	1.36	2434.66	168.65	49.68	
		Sum	**4900.19**			

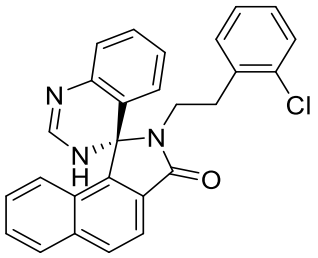


Signal: VWD1A,Wavelength=254 nm

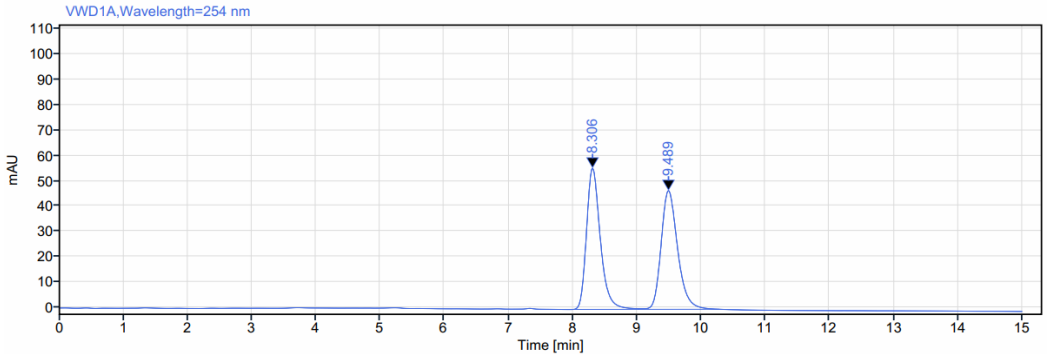
RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.581	MM m	1.07	13103.05	1065.11	98.19	
6.427	MM m	1.02	241.46	15.30	1.81	
		Sum	**13344.51**			

HPLC (Chiralpak IC-3): t_R = 6.43 (major), 5.58 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

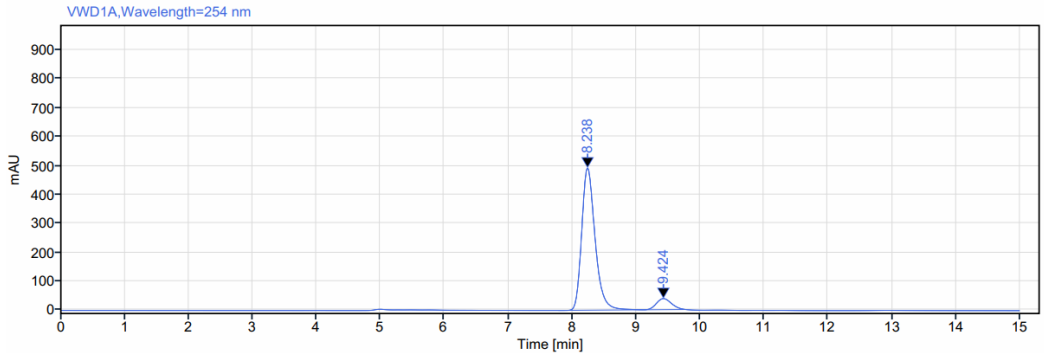


4aj



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.306	MM m	1.25	833.79	55.72	49.87	
9.489	MM m	1.26	837.99	46.85	50.13	
		Sum	**1671.78**			

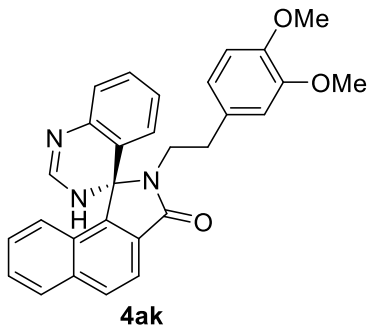


Signal: VWD1A,Wavelength=254 nm

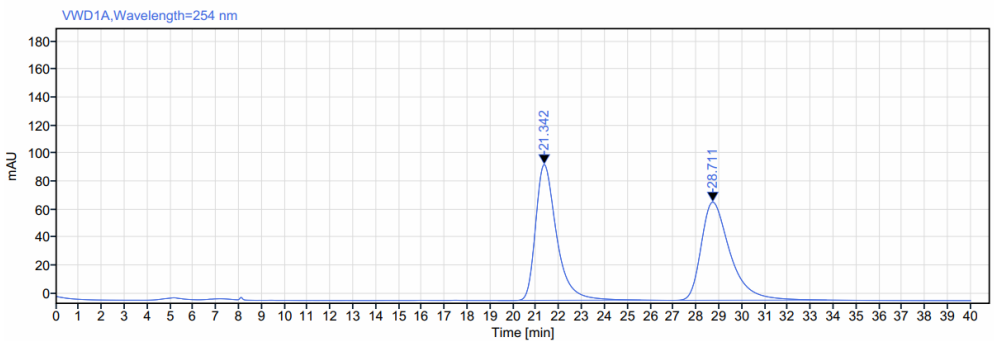
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.238	MM m	1.37	7141.84	491.69	91.92	
9.424	MM m	0.62	628.10	38.36	8.08	
		Sum	**7769.93**			

HPLC (Chiralpak IC-3): t_R = 8.24 (major), 9.42 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

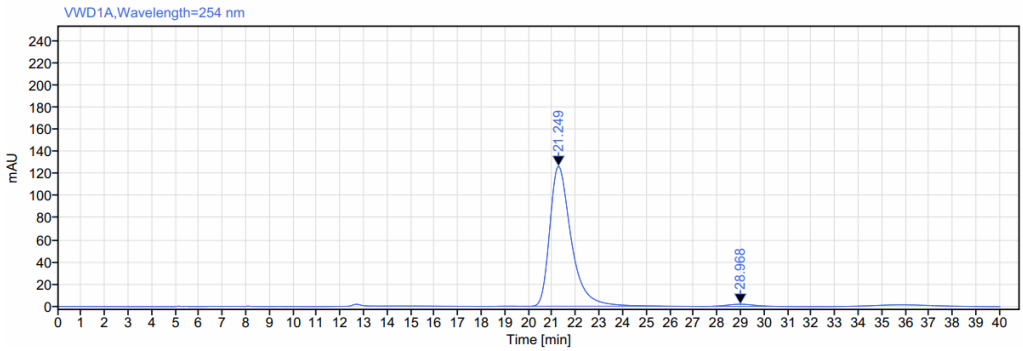


4ak



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
21.342	MM m	6.24	6170.00	97.07	50.10	
28.711	MM m	6.92	6146.48	70.16	49.90	
		Sum	**12316.48**			

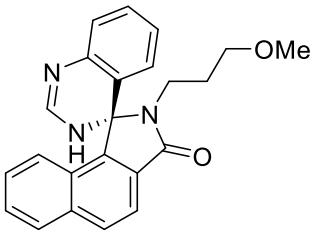


Signal: VWD1A,Wavelength=254 nm

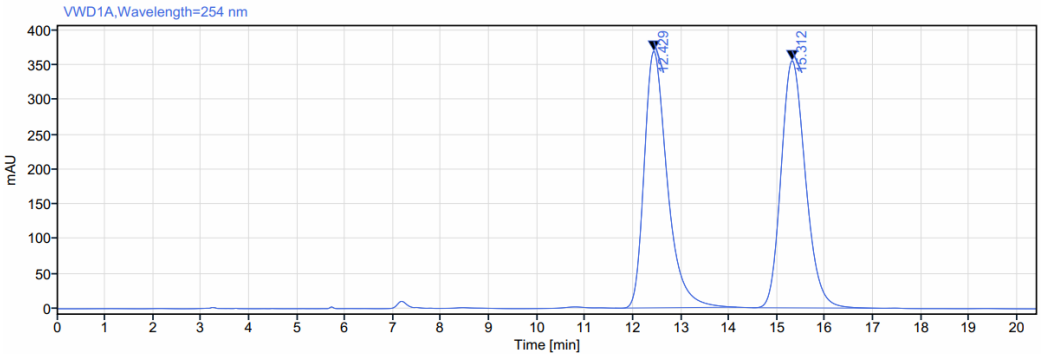
RT [min]	Type	Width [min]	Area	Height	Area%	Name
21.249	MM m	6.46	8009.08	126.99	98.02	
28.968	MM m	3.54	161.60	1.97	1.98	
		Sum	**8170.68**			

HPLC (Chiralpak IC-3): t_R = 21.25 (major), 28.97 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

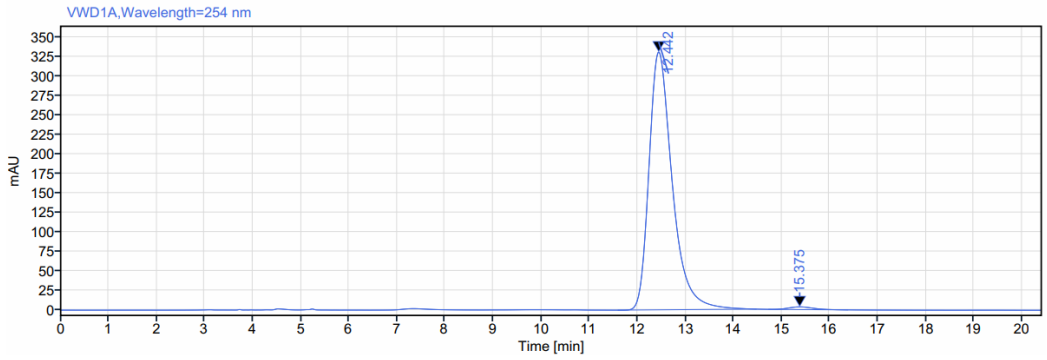


4al



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.429	MM m	2.53	12300.18	368.93	49.55	
15.312	MM m	2.87	12526.04	355.32	50.45	
		Sum	**24826.22**			

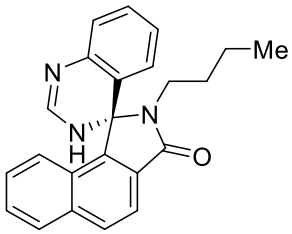


Signal: VWD1A,Wavelength=254 nm

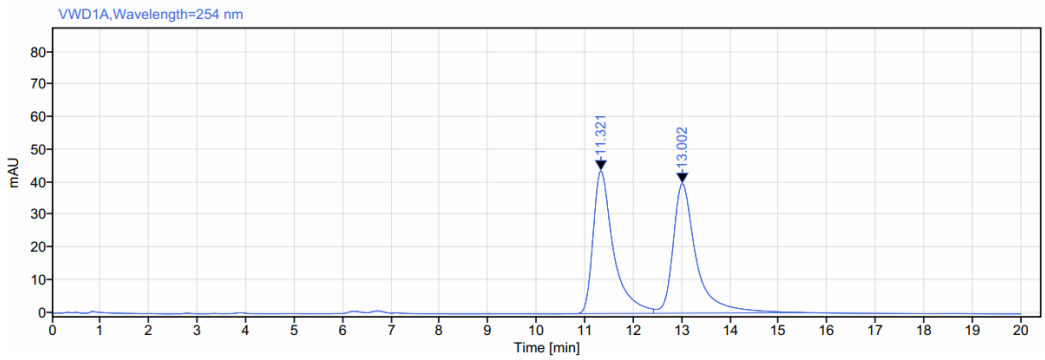
RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.442	MM m	2.89	11150.86	331.17	98.92	
15.375	MM m	1.66	121.49	3.47	1.08	
		Sum	**11272.35**			

HPLC (Chiralpak IC-3): t_R = 15.38 (major), 12.44 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

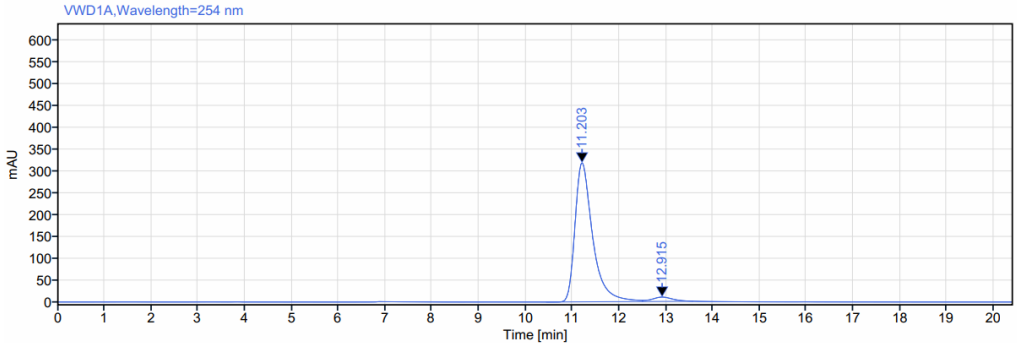


4am



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
11.321	MM m	2.05	1279.45	43.88	49.00	
13.002	MM m	3.12	1331.59	39.86	51.00	
		Sum	**2611.04**			

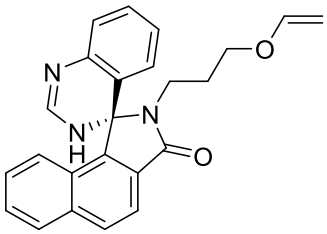


Signal: VWD1A,Wavelength=254 nm

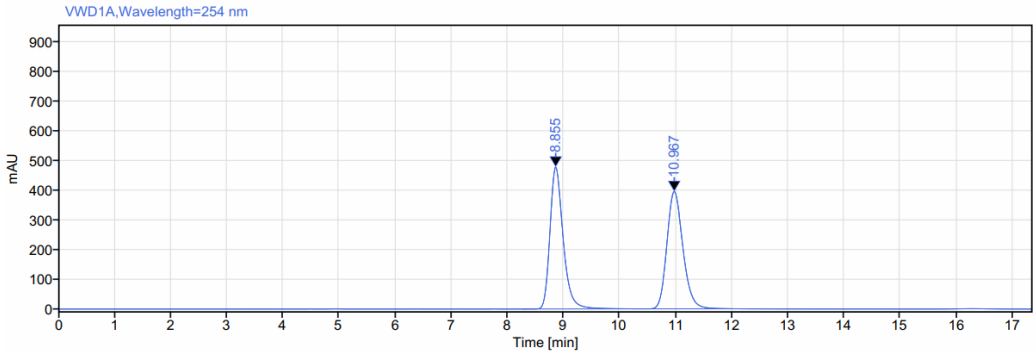
RT [min]	Type	Width [min]	Area	Height	Area%	Name
11.203	MM m	2.06	8341.61	318.94	96.50	
12.915	MM m	1.09	302.34	9.90	3.50	
		Sum	**8643.96**			

HPLC (Chiralpak IC-3): t_R = 11.20 (major), 12.92 (minor)

Condition: *n*-Hexane:*i*-PrOH= 80:20, flow rate 1.0 mL/min, 25 ºC, 254 nm.

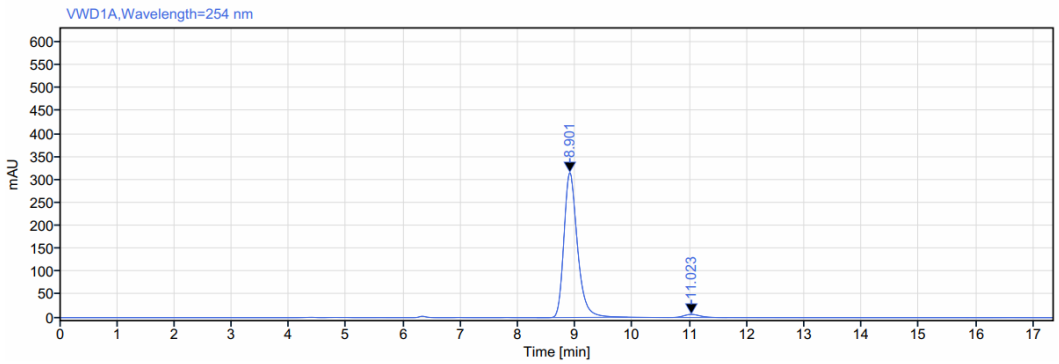


4an



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.855	MM m	2.04	7816.61	478.47	49.91	
10.967	MM m	1.55	7843.72	395.46	50.09	
		Sum	**15660.33**			

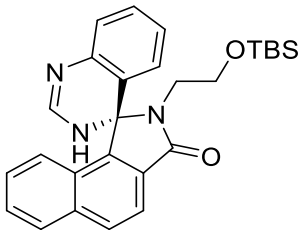


Signal: VWD1A,Wavelength=254 nm

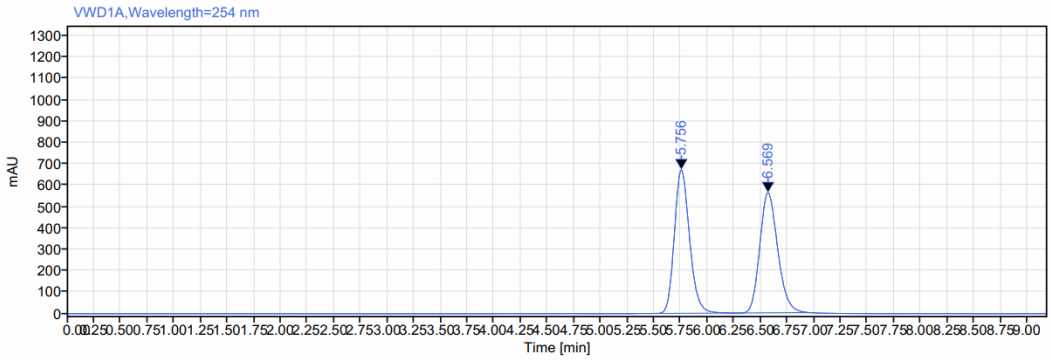
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.901	MM m	1.58	5160.53	315.39	97.51	
11.023	MM m	0.96	131.77	6.72	2.49	
		Sum	**5292.30**			

HPLC (Chiralpak IC-3): t_R = 8.90 (major), 11.02 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

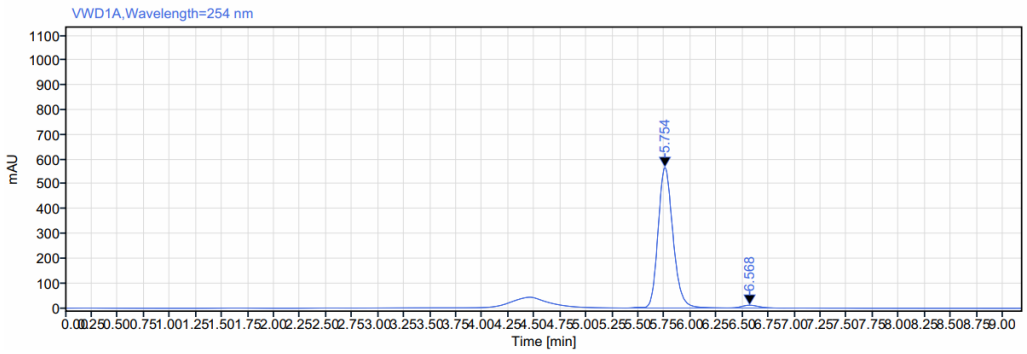


4ao



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.756	MM m	0.75	6640.39	671.84	50.27	
6.569	MM m	0.74	6569.47	561.92	49.73	
		Sum	**13209.85**			

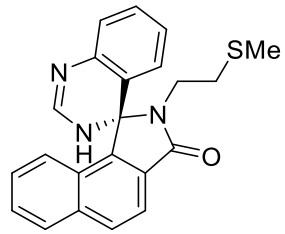


Signal: VWD1A,Wavelength=254 nm

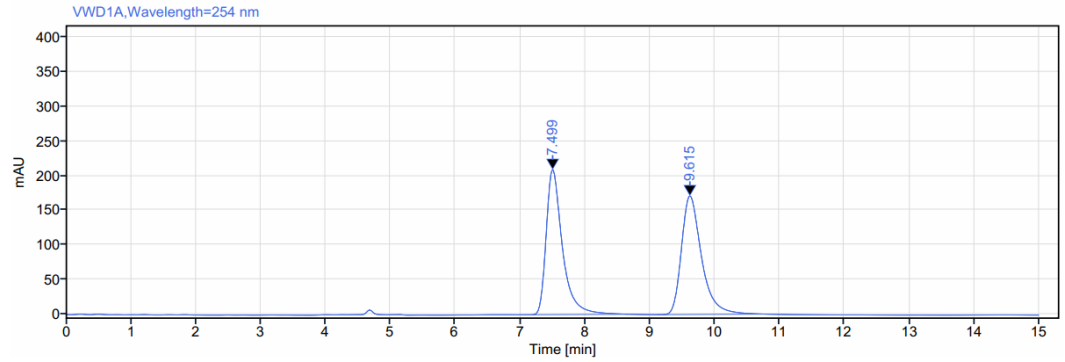
RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.754	MM m	1.02	5645.30	567.56	97.93	
6.568	MM m	0.40	119.57	11.01	2.07	
		Sum	**5764.87**			

HPLC (Chiralpak IC-3): t_R = 5.75 (major), 6.57 (minor)

Condition: *n*-Hexane:*i*-PrOH= 70:30, flow rate 1.0 mL/min, 25 ºC, 254 nm.

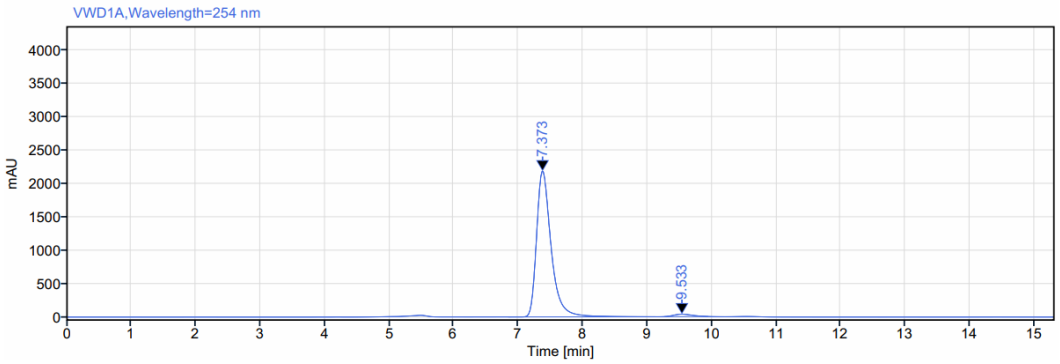


4ap



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
7.499	MM m	1.70	3623.54	208.37	49.73	
9.615	MM m	1.64	3663.20	170.42	50.27	
		Sum	**7286.75**			

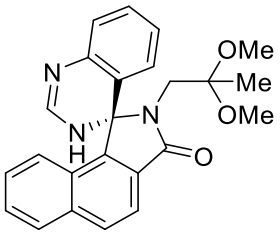


Signal: VWD1A,Wavelength=254 nm

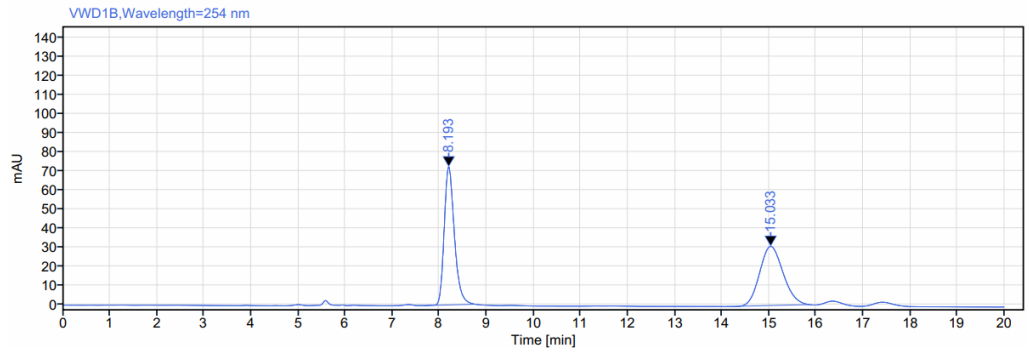
RT [min]	Type	Width [min]	Area	Height	Area%	Name
7.373	BM m	2.28	34196.94	2184.11	97.98	
9.533	MM m	0.68	704.56	36.44	2.02	
		Sum	**34901.50**			

HPLC (Chiralpak IC-3): t_R = 7.37 (major), 9.53 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 1.0 mL/min, 25 ºC, 254 nm.

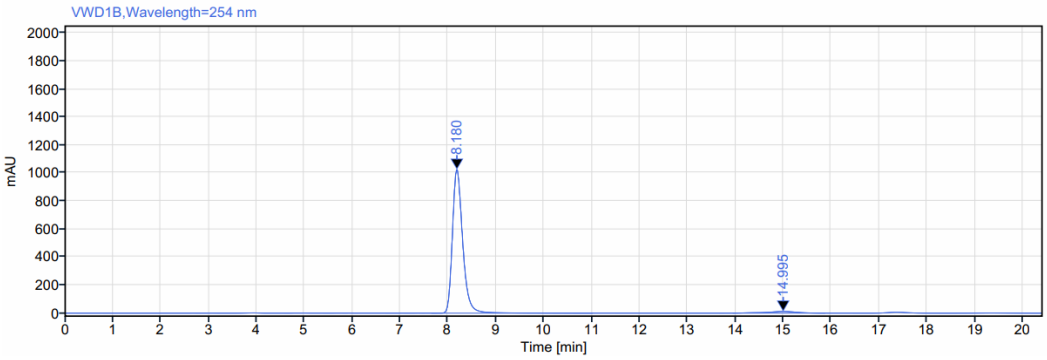


4aq



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.193	MM m	1.10	1085.13	72.22	50.44	
15.033	MM m	1.75	1066.33	30.96	49.56	
		Sum	**2151.46**			

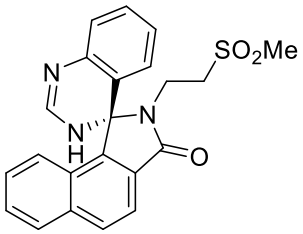


Signal: VWD1B,Wavelength=254 nm

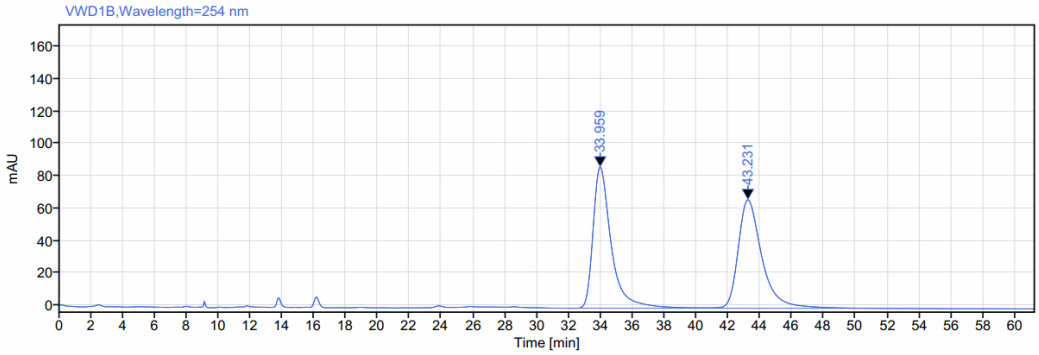
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.180	VB	3.36	15303.31	1026.35	96.70	
14.995	MM m	1.93	522.91	12.11	3.30	
		Sum	**15826.22**			

HPLC (Chiralpak IC-3): t_R = 8.18 (major), 15.00 (minor)

Condition: *n*-Hexane:*i*-PrOH= 50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

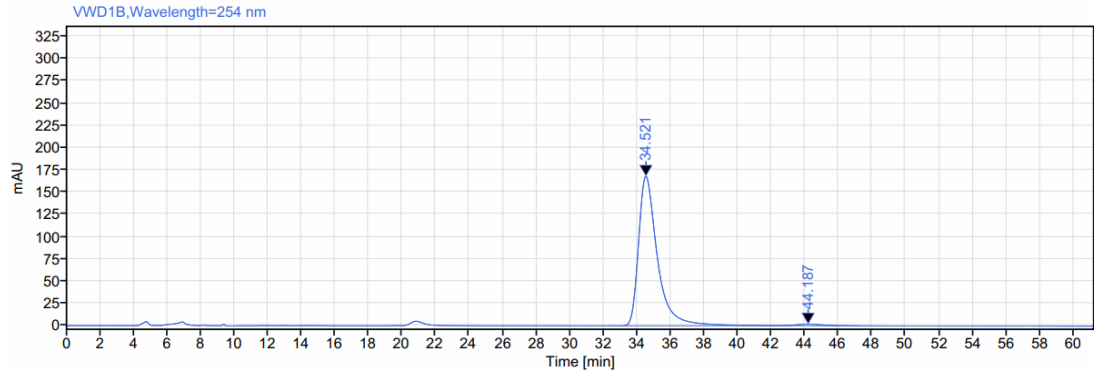


4ar



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
33.959	MM m	8.57	6916.85	87.12	49.98	
43.231	MM m	11.18	6922.67	67.01	50.02	
		Sum	**13839.53**			

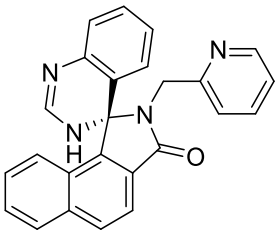


Signal: VWD1B,Wavelength=254 nm

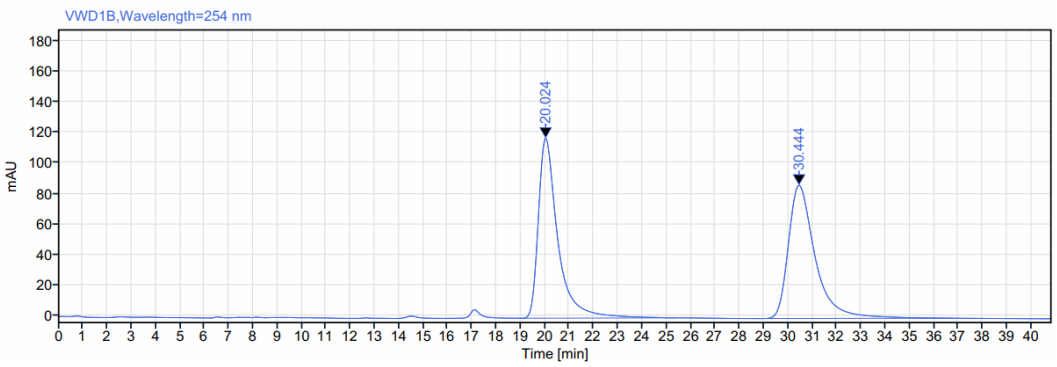
RT [min]	Type	Width [min]	Area	Height	Area%	Name
34.521	MM m	10.19	13101.80	168.16	98.59	
44.187	MM m	4.91	187.08	1.78	1.41	
		Sum	**13288.88**			

HPLC (Chiralpak IC-3): t_R = 34.52 (major), 44.19 (minor)

Condition: *n*-Hexane:*i*-PrOH= 50:50, flow rate 0.5 mL/min, 25 ºC, 254 nm.

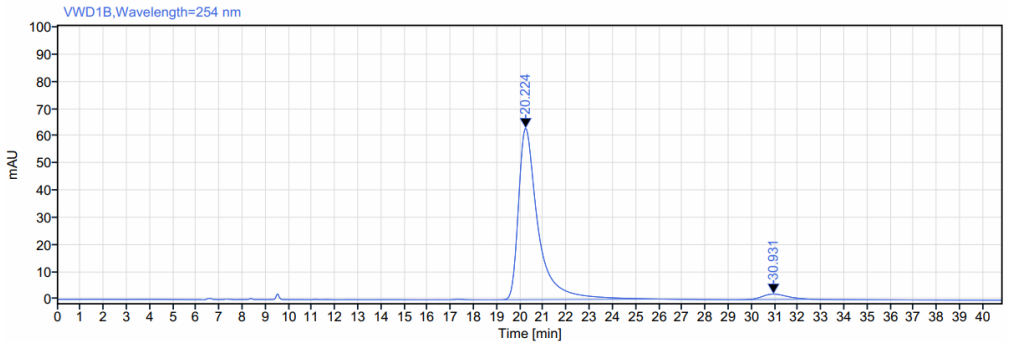


4as



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
20.024	MM m	6.51	6541.88	117.55	49.55	
30.444	MM m	8.18	6661.30	87.02	50.45	
		Sum	**13203.18**			

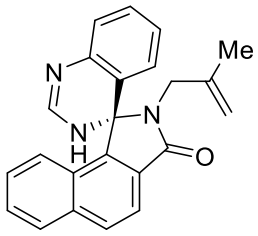


Signal: VWD1B,Wavelength=254 nm

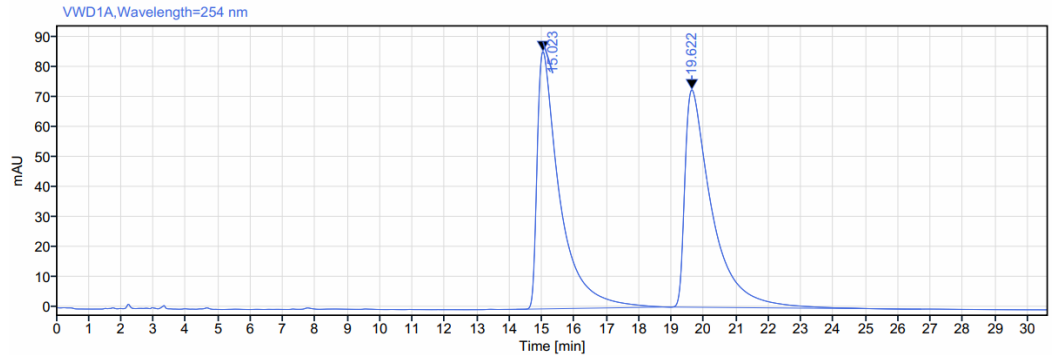
RT [min]	Type	Width [min]	Area	Height	Area%	Name
20.224	MM m	7.40	3726.49	63.00	96.05	
30.931	MM m	3.35	153.42	2.01	3.95	
		Sum	**3879.91**			

HPLC (Chiralpak IC-3): t_R = 20.22 (major), 30.93 (minor)

Condition: *n*-Hexane:*i*-PrOH= 40:60, flow rate 0.5 mL/min, 25 ºC, 254 nm.

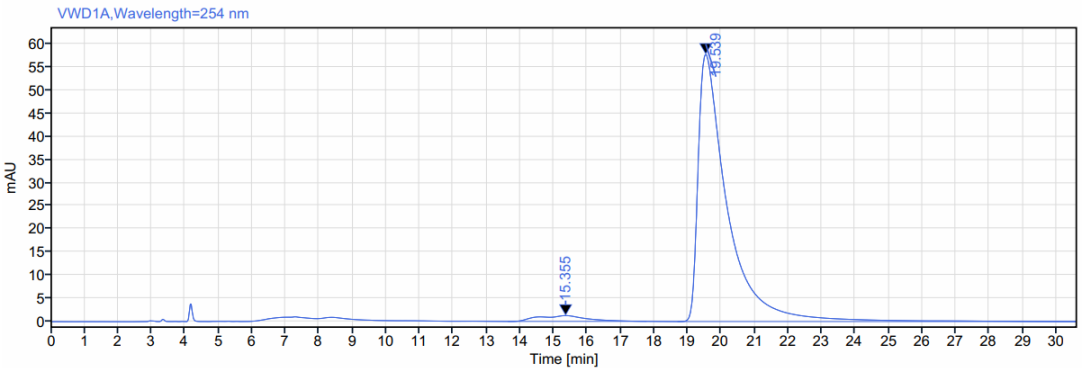


4at



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
15.023	MM m	4.62	4149.10	85.55	49.70	
19.622	MM m	7.88	4199.27	72.29	50.30	
		Sum	**8348.37**			

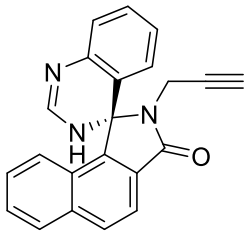


Signal: VWD1A,Wavelength=254 nm

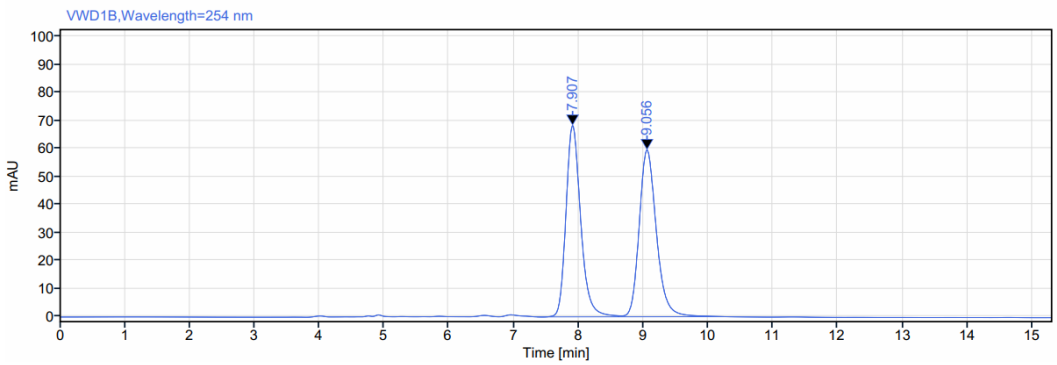
RT [min]	Type	Width [min]	Area	Height	Area%	Name
15.355	MM m	4.14	127.15	1.26	3.50	
19.539	MM m	12.02	3509.40	57.77	96.50	
		Sum	**3636.56**			

HPLC (Chiralpak IA-3): t_R = 19.54 (major), 15.36 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

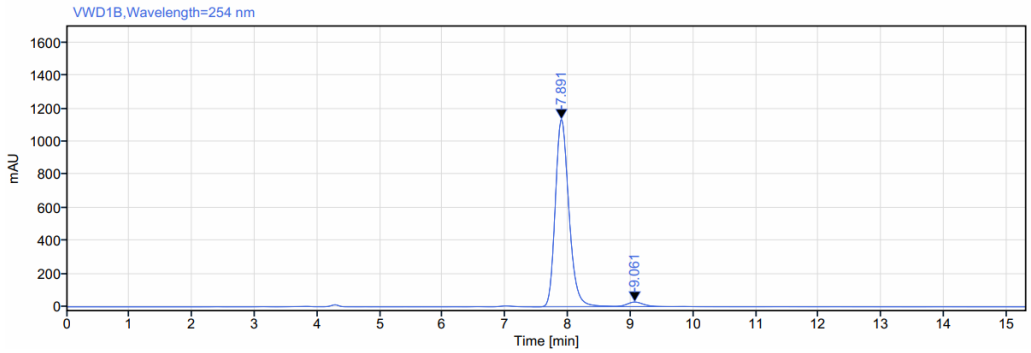


4au



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
7.907	MM m	1.26	1075.65	68.16	49.45	
9.056	MM m	1.62	1099.72	59.41	50.55	
		Sum	**2175.36**			

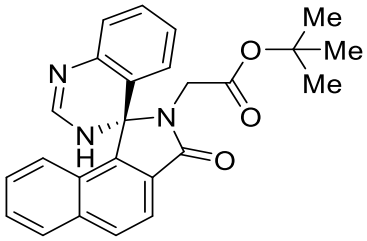


Signal: VWD1B,Wavelength=254 nm

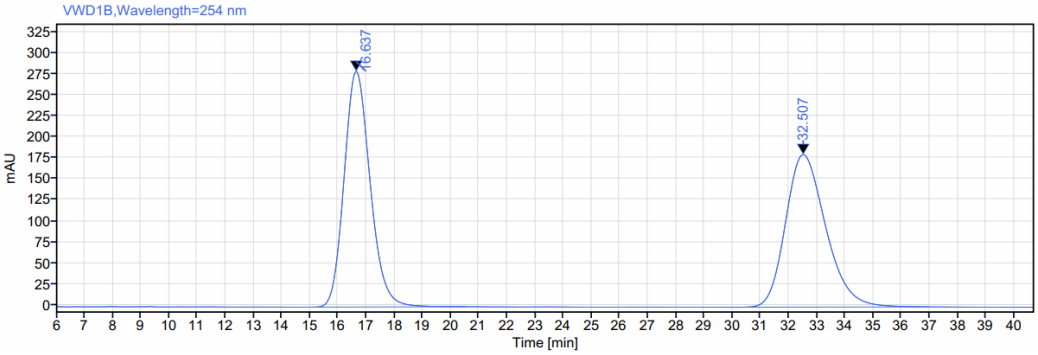
RT [min]	Type	Width [min]	Area	Height	Area%	Name
7.891	MM m	1.35	17143.56	1134.22	97.08	
9.061	MM m	1.10	515.31	27.95	2.92	
		Sum	**17658.86**			

HPLC (Chiralpak IC-3): t_R = 10.01 (major), 10.57 (minor)

Condition: *n*-Hexane:*i*-PrOH= 70:30, flow rate 0.5 mL/min, 25 ºC, 254 nm.

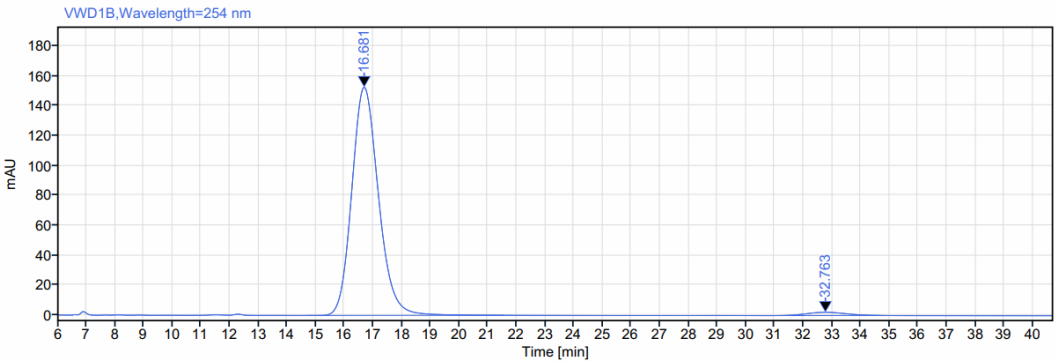


4av



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.637	MM m	5.73	18068.27	281.46	50.07	
32.507	MM m	6.94	18017.54	182.12	49.93	
		Sum	**36085.82**			

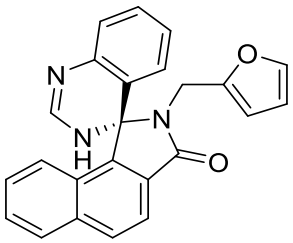


Signal: VWD1B,Wavelength=254 nm

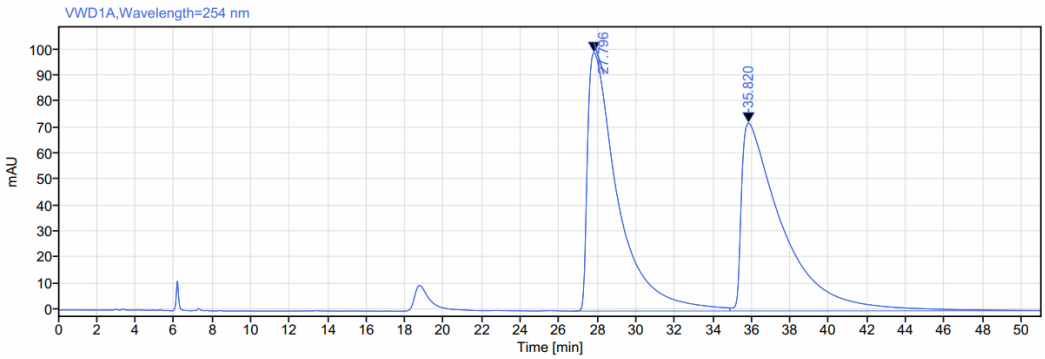
RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.681	MM m	6.88	9855.36	152.43	97.91	
32.763	MM m	3.98	210.27	2.21	2.09	
		Sum	**10065.63**			

HPLC (Chiralpak IC-3): t_R = 16.68 (major), 32.76 (minor)

Condition: *n*-Hexane:*i*-PrOH= 50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

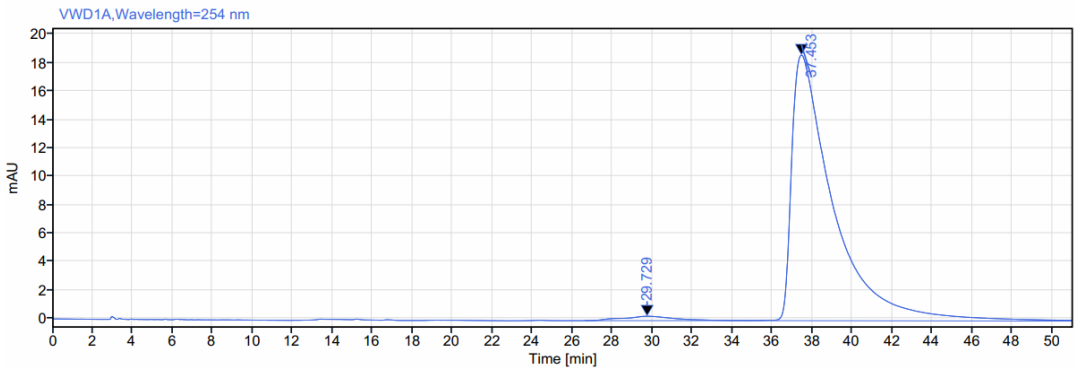


4aw



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
27.796	MM m	8.15	10841.01	99.33	50.31	
35.820	MM m	17.98	10706.26	72.21	49.69	
		Sum	**21547.27**			

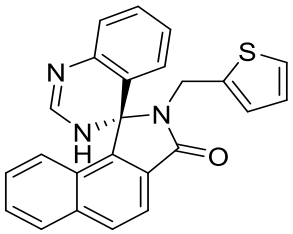


Signal: VWD1A,Wavelength=254 nm

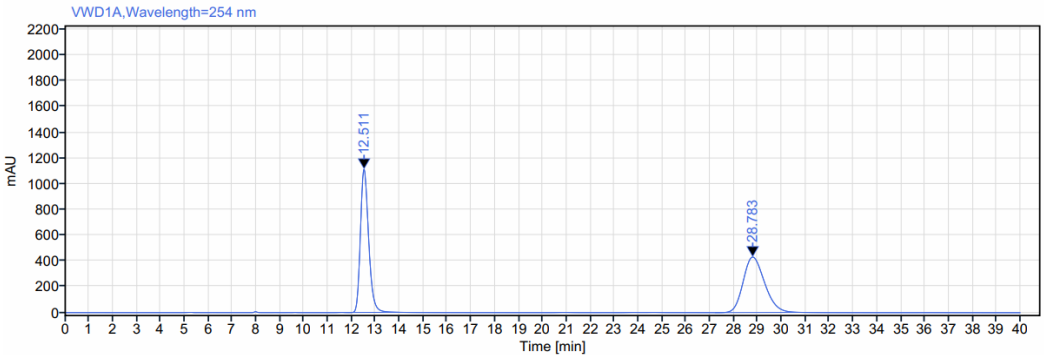
RT [min]	Type	Width [min]	Area	Height	Area%	Name
29.729	MM m	8.37	56.40	0.31	2.05	
37.453	MM m	20.09	2696.02	18.68	97.95	
		Sum	**2752.43**			

HPLC (Chiralpak IA-3): t_R = 37.45 (major), 29.73 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

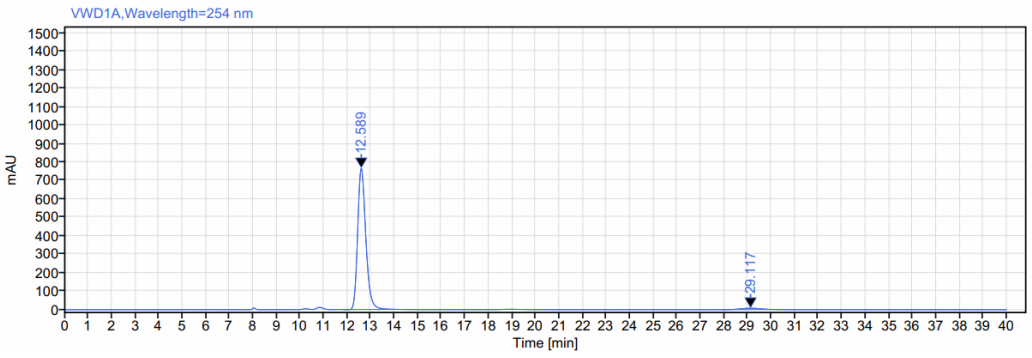


4ax



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.511	MM m	2.30	26563.21	1112.44	49.76	
28.783	MM m	3.60	26815.83	430.97	50.24	
		Sum	**53379.04**			

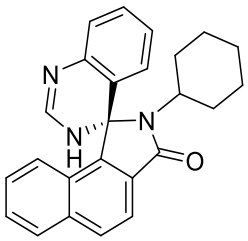


Signal: VWD1A,Wavelength=254 nm

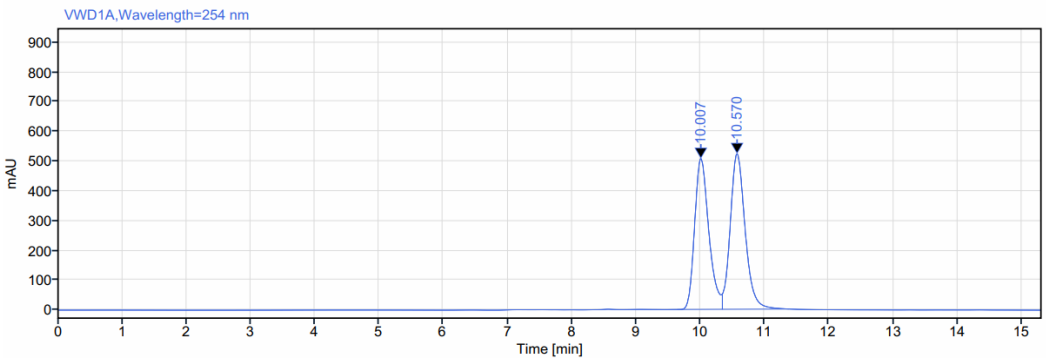
RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.589	MM m	2.24	18430.78	767.08	97.70	
29.117	MM m	2.20	434.37	7.42	2.30	
		Sum	**18865.15**			

HPLC (Chiralpak IC-3): t_R = 12.56 (major), 29.12 (minor)

Condition: *n*-Hexane:*i*-PrOH= 60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

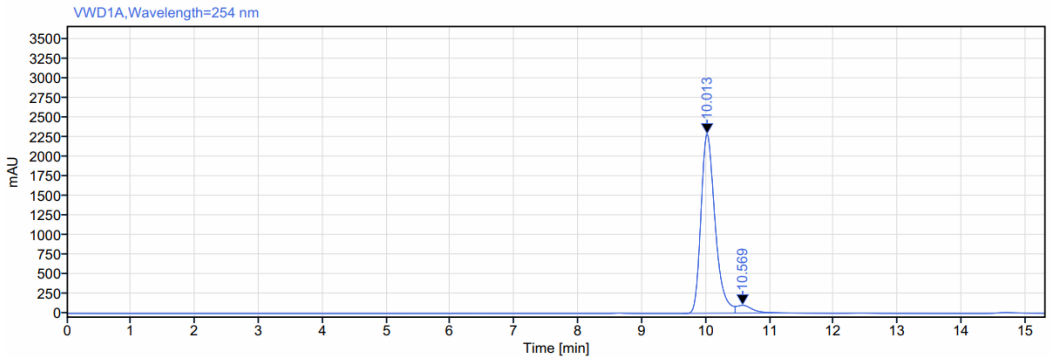


4ay



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.007	MM m	0.70	7800.52	507.58	48.06	
10.570	MM m	1.03	8431.25	523.26	51.94	
		Sum	**16231.76**			

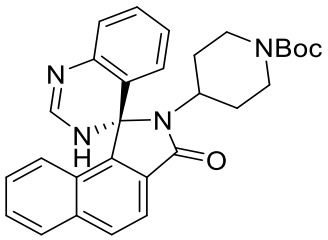


Signal: VWD1A,Wavelength=254 nm

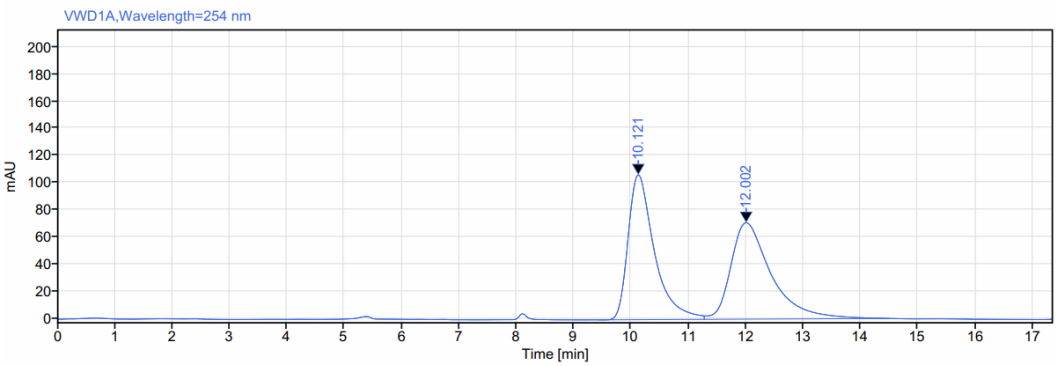
RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.013	MM m	0.81	35289.84	2288.84	95.33	
10.569	MM m	0.70	1730.41	100.11	4.67	
		Sum	**37020.25**			

HPLC (Chiralpak IC-3): t_R = 10.01 (major), 10.57 (minor)

Condition: *n*-Hexane:*i*-PrOH= 70:30, flow rate 0.5 mL/min, 25 ºC, 254 nm.

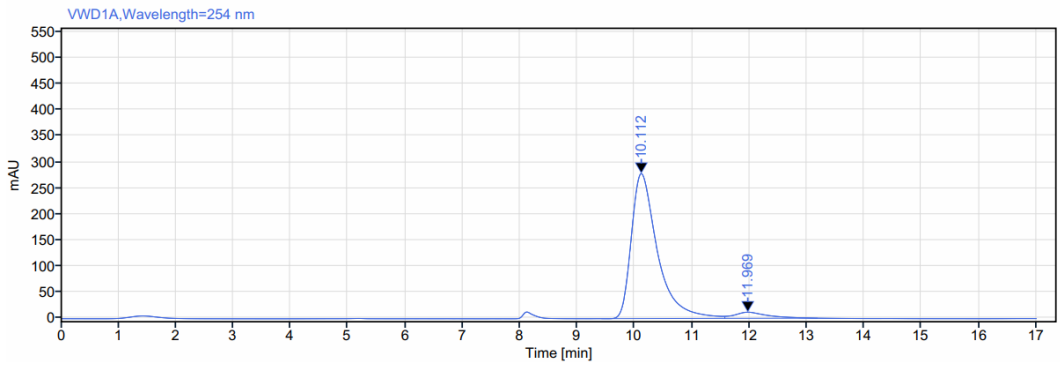


4az



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.121	MM m	1.85	3385.71	106.37	49.45	
12.002	MM m	3.23	3460.72	70.86	50.55	
		Sum	**6846.43**			

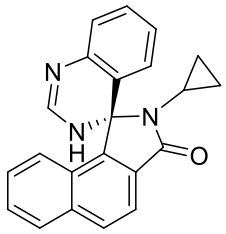


Signal: VWD1A,Wavelength=254 nm

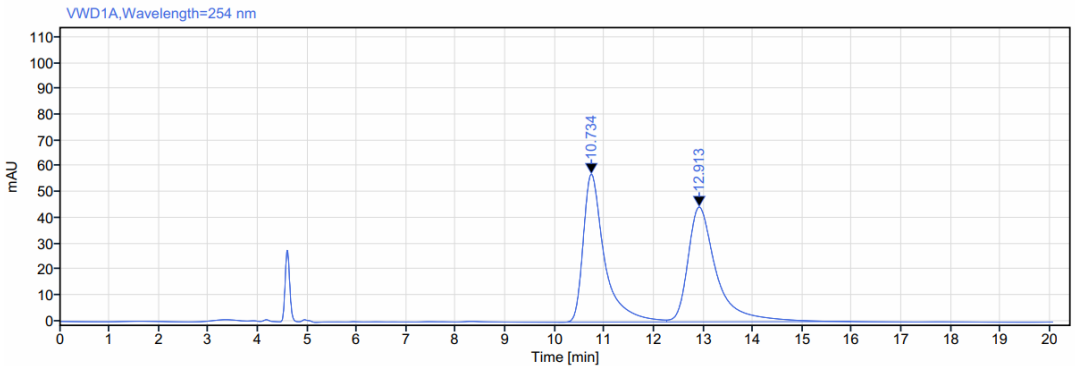
RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.112	MM m	2.15	8819.30	278.69	94.93	
11.969	MM m	1.62	471.02	11.84	5.07	
		Sum	**9290.31**			

HPLC (Chiralpak IC-3): t_R = 10.11 (major), 11.97 (minor)

Condition: *n*-Hexane:*i*-PrOH=60:40, flow rate 0.8 mL/min, 25 ºC, 254 nm.

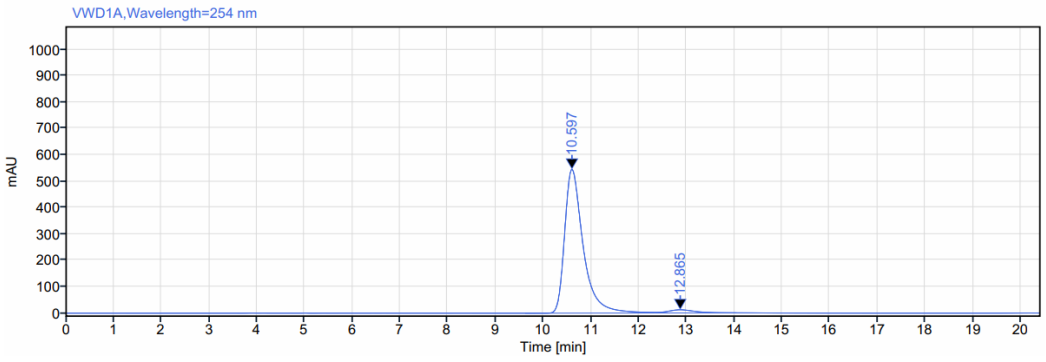


4aaa



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.734	MM m	2.19	1715.23	57.33	49.06	
12.913	MM m	3.72	1781.05	44.50	50.94	
		Sum	**3496.28**			

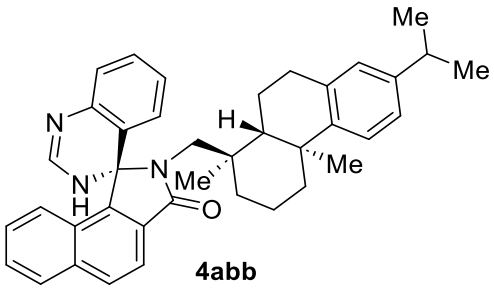


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.597	MM m	2.68	14700.17	545.22	96.96	
12.865	MM m	1.75	460.21	11.85	3.04	
		Sum	**15160.38**			

HPLC (Chiralpak IC-3): t_R = 10.60 (major), 12.87 (minor)

Condition: *n*-Hexane:*i*-PrOH=60:40, flow rate 1.0 mL/min, 25 ºC, 254 nm.



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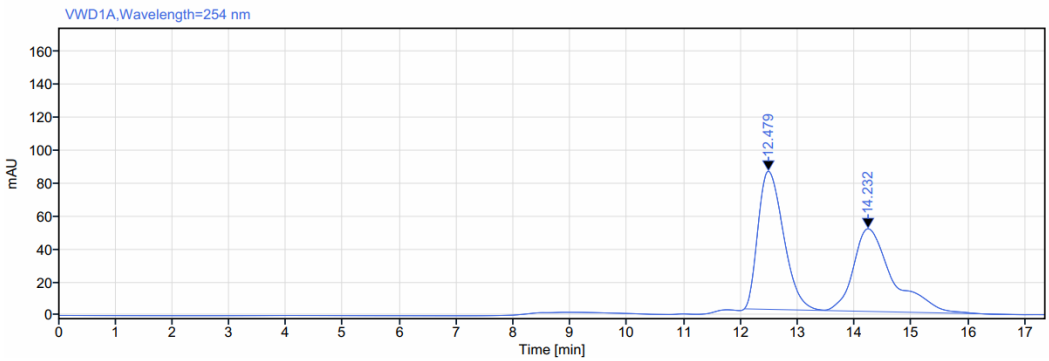
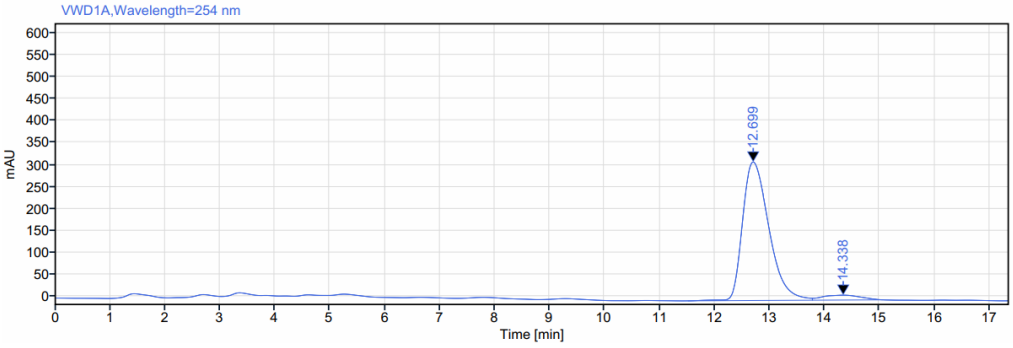


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.479	MM m	1.42	2618.51	83.81	52.17	
14.232	MM m	3.53	2400.68	50.17	47.83	
		Sum	**5019.19**			

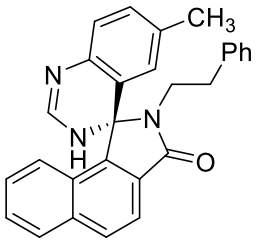


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.699	MM m	2.27	10474.14	315.01	95.13	
14.338	MM m	1.28	536.77	11.15	4.87	
		Sum	**11010.91**			

HPLC (Chiralpak OD-3): t_R = 12.70 (major), 14.34 (minor)

Condition: 80:20, *n*-Hexane:*i*-PrOH, flow rate 0.5 mL/min, 25 ºC, 254 nm.



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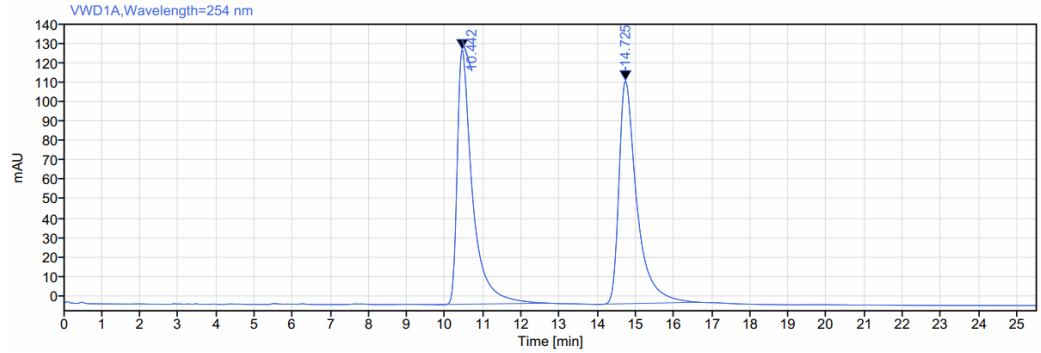
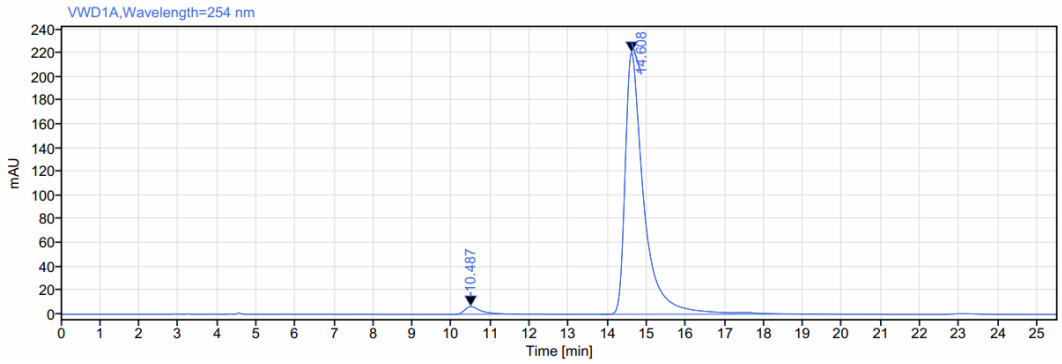


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.442	MM m	2.96	3640.10	131.21	49.38	
14.725	MM m	2.73	3730.88	114.82	50.62	
		Sum	**7370.98**			

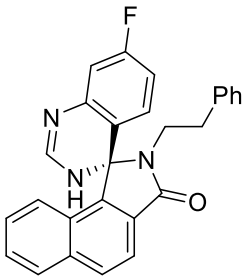


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.487	MM m	1.62	188.89	6.46	2.51	
14.608	MM m	5.65	7351.34	220.71	97.49	
		Sum	**7540.23**			

HPLC (Chiralpak IA-3): t_R = 14.61 (major), 10.48 (minor)

Condition: 85:15, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.



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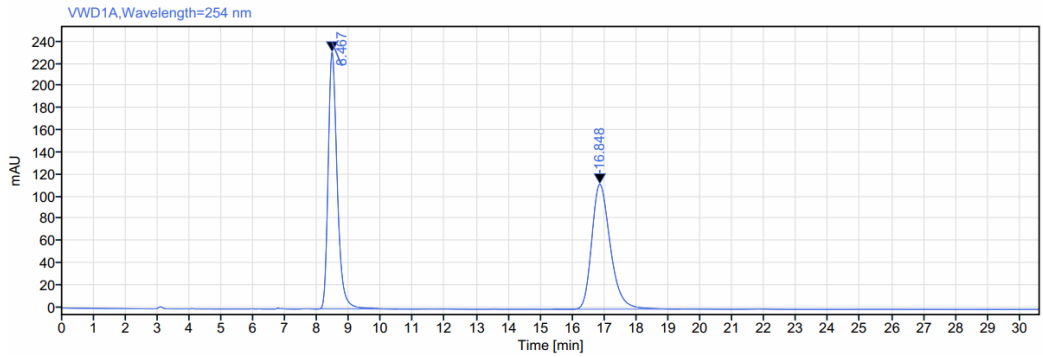
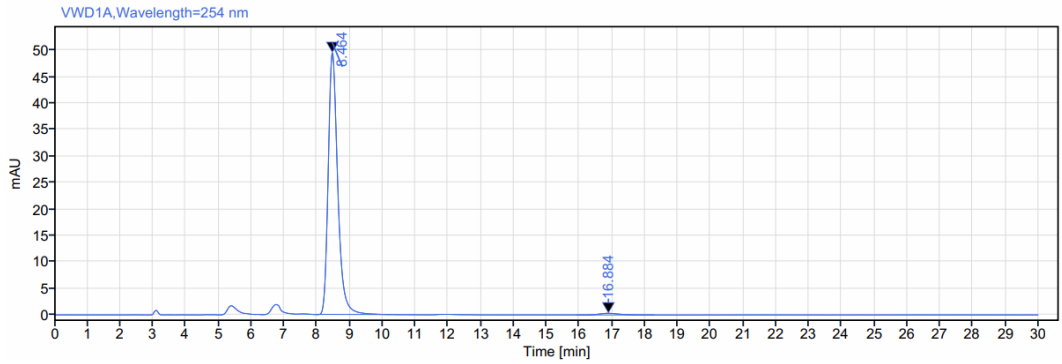


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.467	MM m	2.94	4562.85	231.79	50.09	
16.848	MM m	3.99	4546.17	112.85	49.91	
		Sum	**9109.02**			

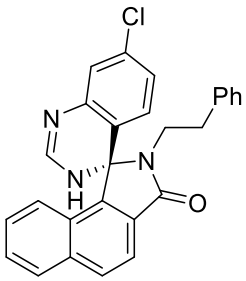


Signal: VWD1A,Wavelength=254 nm

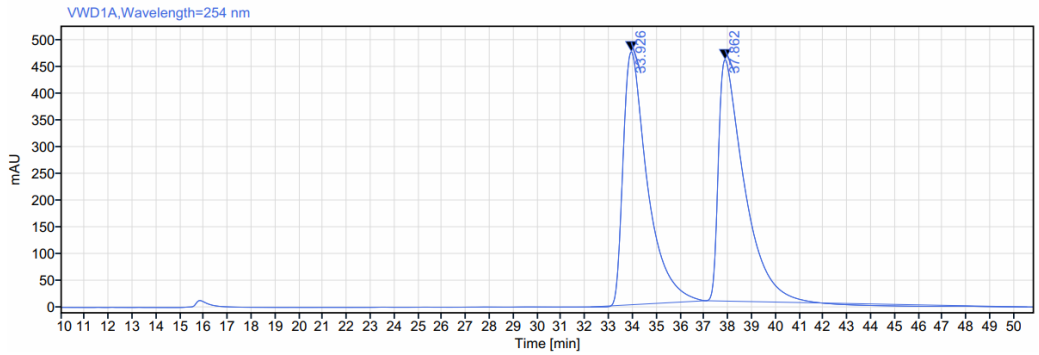
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.464	MM m	2.39	998.09	49.51	98.75	
16.884	MM m	2.37	12.64	0.31	1.25	
		Sum	**1010.74**			

HPLC (Chiralpak IC-3): t_R = 8.46 (major), 16.88 (minor)

Condition: 85:15, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

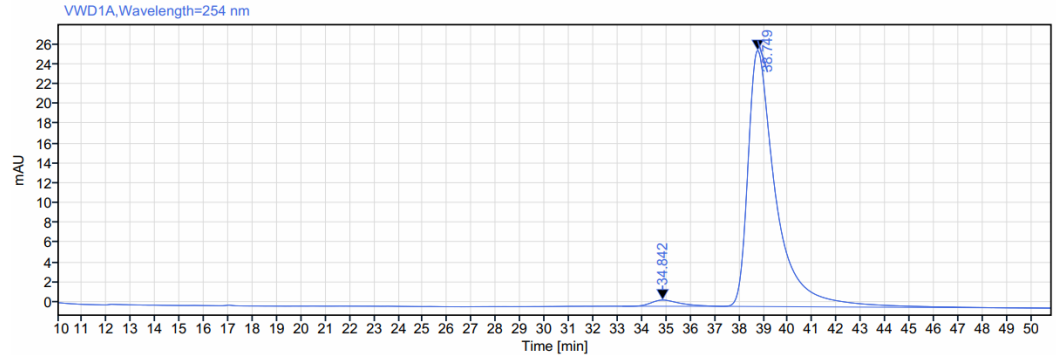


4da



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
33.926	MM m	4.82	33654.07	474.88	50.25	
37.862	MM m	13.51	33315.45	453.13	49.75	
		Sum	**66969.52**			

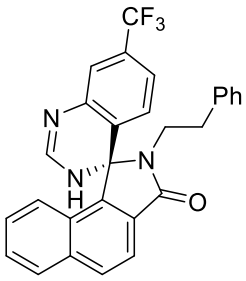


Signal: VWD1A,Wavelength=254 nm

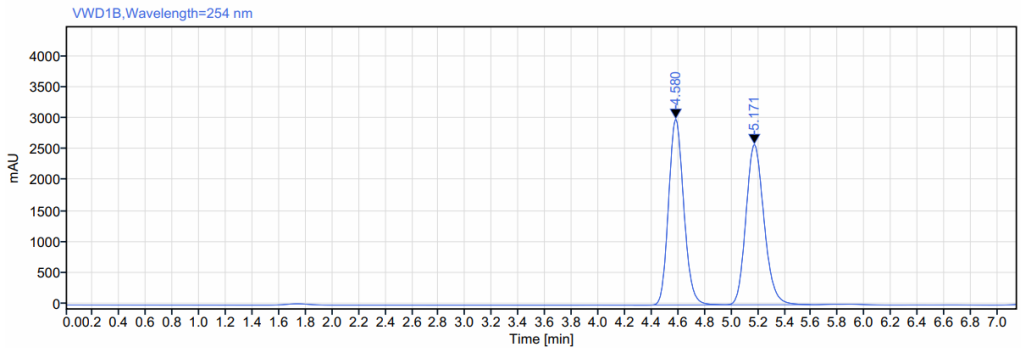
RT [min]	Type	Width [min]	Area	Height	Area%	Name
34.842	MM m	4.14	51.85	0.62	2.45	
38.749	MM m	14.29	2062.29	25.79	97.55	
		Sum	**2114.15**			

HPLC (Chiralpak IA-3): t_R = 38.75 (major), 34.84 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 0.7 mL/min, 25 ºC, 254 nm.

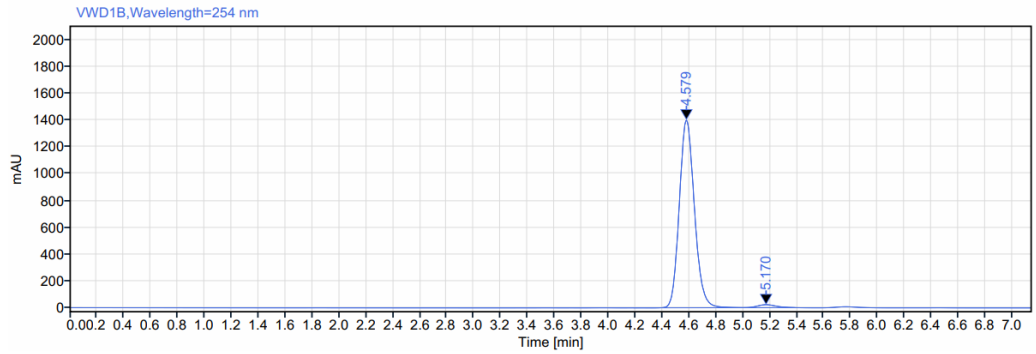


4ea



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
4.580	MM m	0.69	23717.20	2990.63	49.31	
5.171	MM m	0.64	24383.17	2580.21	50.69	
		Sum	**48100.37**			

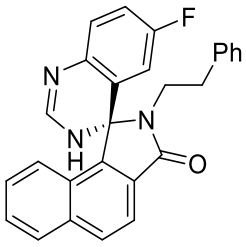


Signal: VWD1B,Wavelength=254 nm

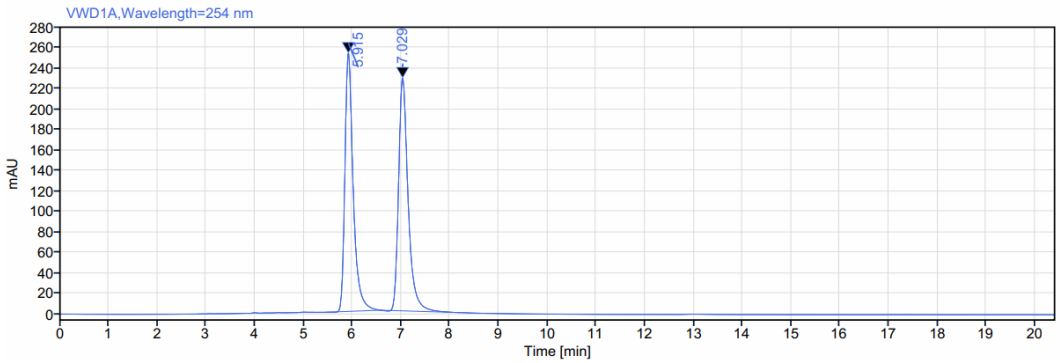
RT [min]	Type	Width [min]	Area	Height	Area%	Name
4.579	MM m	0.82	10988.06	1406.50	98.09	
5.170	MM m	0.38	213.40	22.41	1.91	
		Sum	**11201.46**			

HPLC (Chiralpak IC-3): t_R = 4.58 (major), 5.17 (minor)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

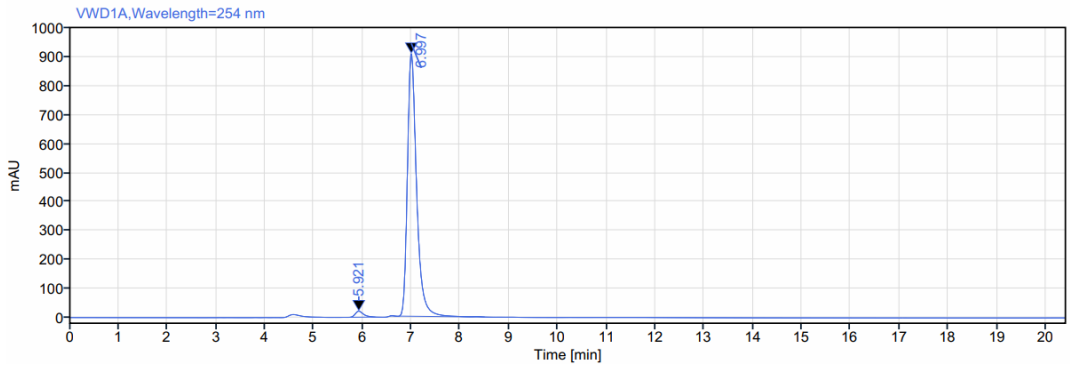


4fa



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.915	MM m	1.09	2974.99	251.92	49.03	
7.029	MM m	1.63	3092.44	227.10	50.97	
		Sum	**6067.43**			

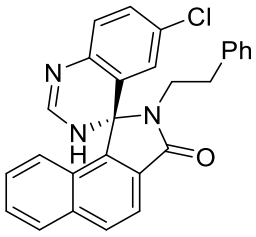


Signal: VWD1A,Wavelength=254 nm

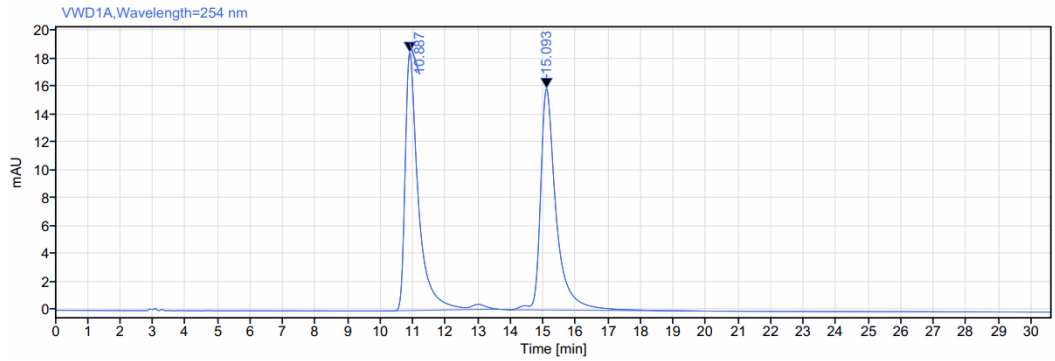
RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.921	MM m	0.62	244.38	20.97	2.02	
6.997	MM m	1.94	11872.55	908.77	97.98	
		Sum	**12116.93**			

HPLC (Chiralpak IA-3): t_R = 7.00 (major), 5.92 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

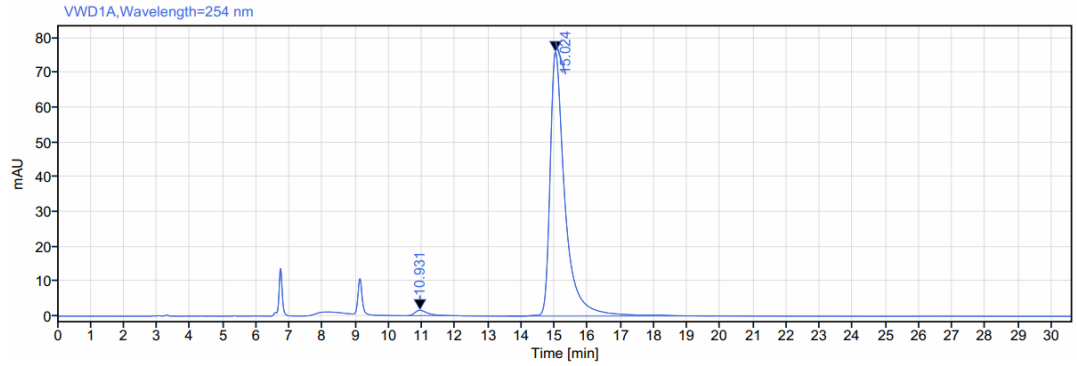


4ga



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.887	MM m	3.53	526.21	18.45	49.84	
15.093	MM m	5.97	529.51	15.83	50.16	
		Sum	**1055.73**			

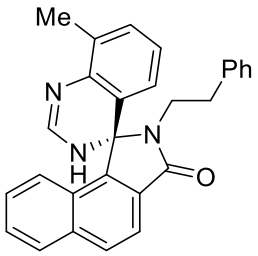


Signal: VWD1A,Wavelength=254 nm

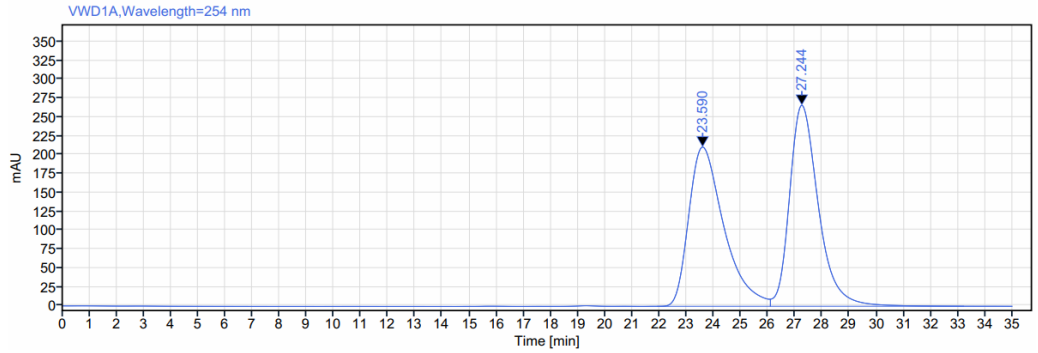
RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.931	MM m	1.24	40.08	1.55	1.66	
15.024	MM m	6.23	2375.23	76.04	98.34	
		Sum	**2415.31**			

HPLC (Chiralpak IA-3): t_R = 15.02 (major), 10.93 (minor)

Condition: 85:15, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

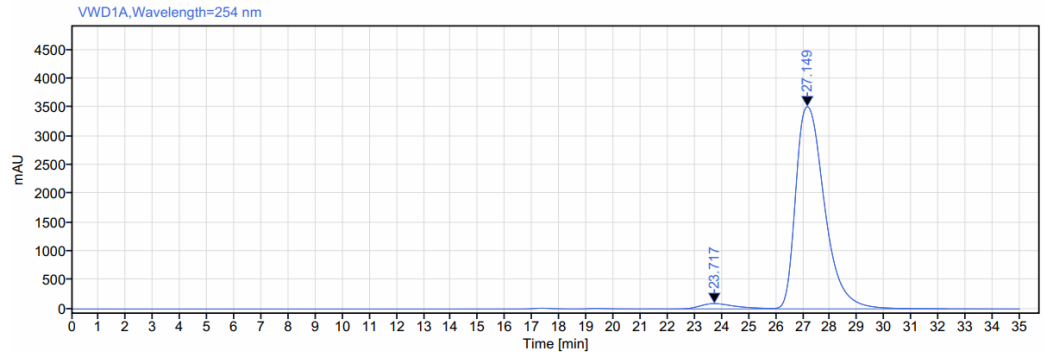


4ha



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
23.590	MM m	4.18	18995.82	211.21	49.11	
27.244	MM m	7.13	19688.02	266.55	50.89	
		Sum	**38683.84**			

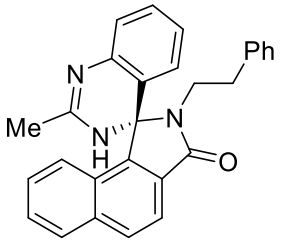


Signal: VWD1A,Wavelength=254 nm

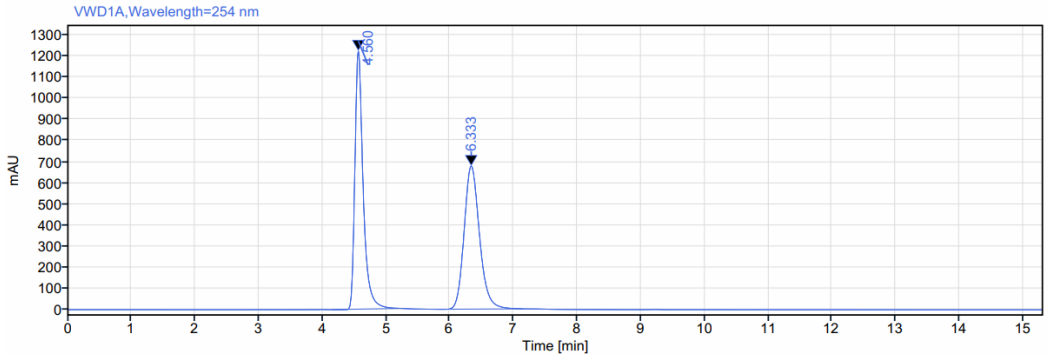
RT [min]	Type	Width [min]	Area	Height	Area%	Name
23.717	MM m	3.15	8132.24	92.69	3.03	
27.149	MM m	7.07	260062.91	3511.61	96.97	
		Sum	**268195.16**			

HPLC (Chiralpak OD-3): t_R = 27.15 (major), 23.72 (minor)

Condition: *n*-Hexane:*i*-PrOH=60:40, flow rate 0.2 mL/min, 25 ºC, 254 nm.

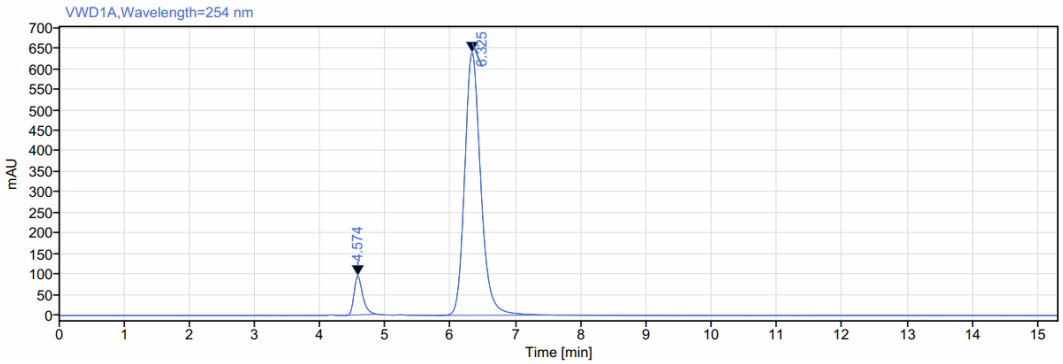


4ia



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
4.560	MM m	1.08	11005.77	1224.02	49.15	
6.333	MM m	1.33	11386.62	678.32	50.85	
		Sum	**22392.40**			

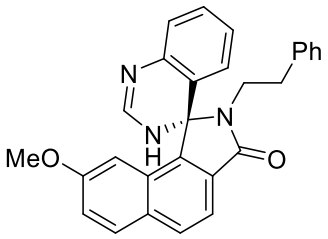


Signal: VWD1A,Wavelength=254 nm

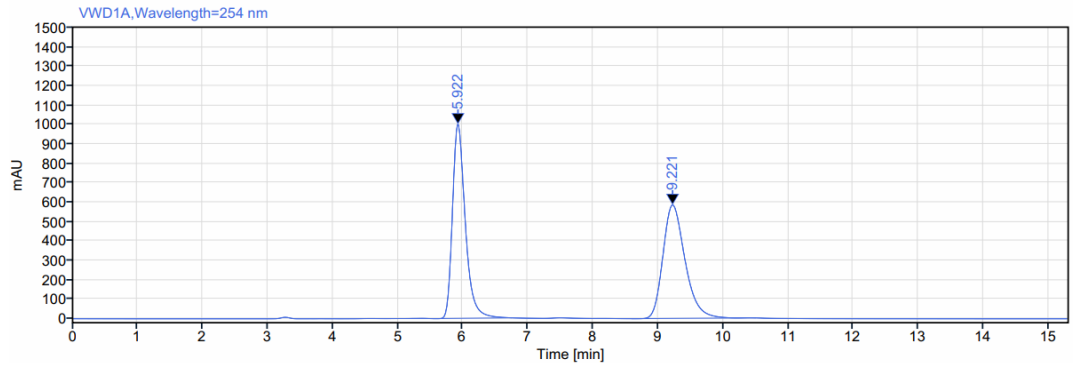
RT [min]	Type	Width [min]	Area	Height	Area%	Name
4.574	MM m	0.49	869.47	94.48	7.35	
6.325	MM m	1.89	10953.92	640.09	92.65	
		Sum	**11823.39**			

HPLC (Chiralpak IA-3): t_R = 6.33 (major), 4.57 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

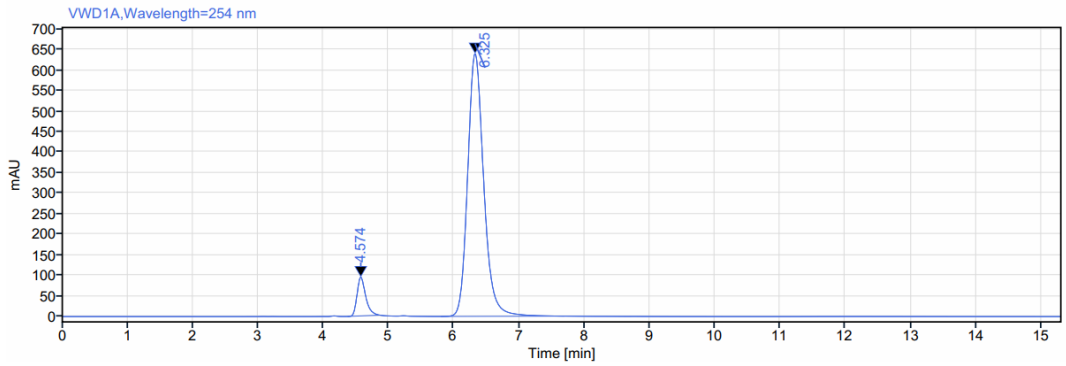


4ja



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.922	MM m	1.21	13712.74	1002.32	49.84	
9.221	MM m	1.91	13801.81	585.95	50.16	
		Sum	**27514.55**			

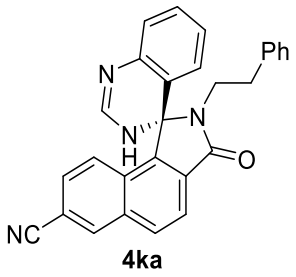


Signal: VWD1A,Wavelength=254 nm

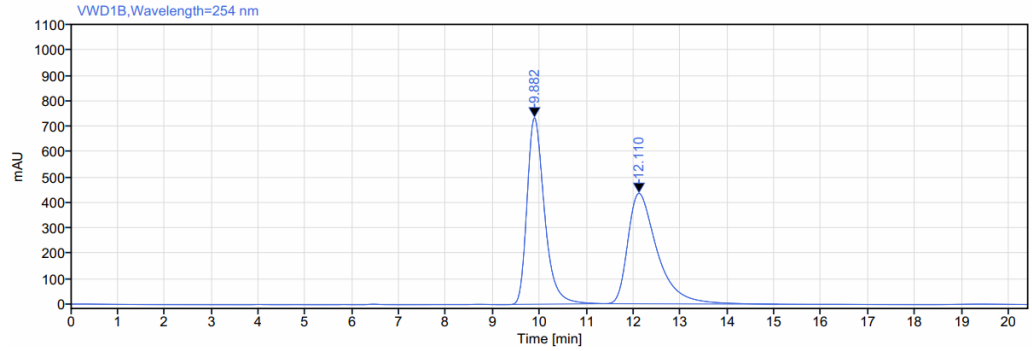
RT [min]	Type	Width [min]	Area	Height	Area%	Name
4.574	MM m	0.49	869.47	94.48	7.35	
6.325	MM m	1.89	10953.92	640.09	92.65	
		Sum	**11823.39**			

HPLC (Chiralpak IC-3): t_R = 5.91 (major), 9.23 (minor)

Condition: *n*-Hexane:*i*-PrOH=70:30, flow rate 1.0 mL/min, 25 ºC, 254 nm.

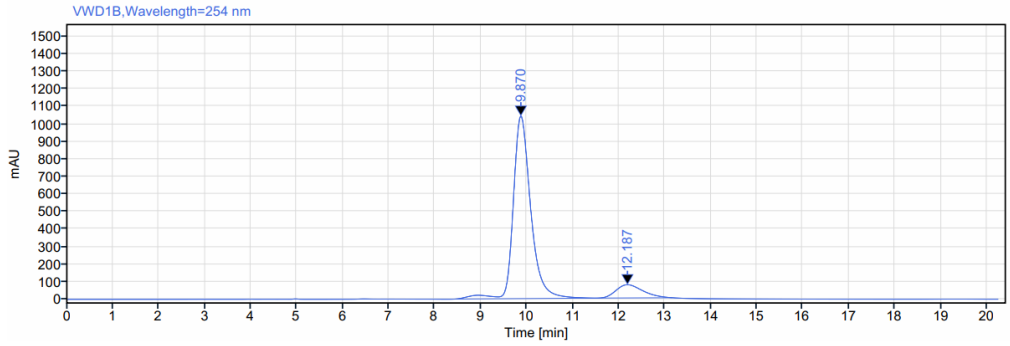


4ka



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.882	MM m	2.27	18955.98	734.85	50.02	
12.110	MM m	3.73	18940.00	435.01	49.98	
		Sum	**37895.98**			

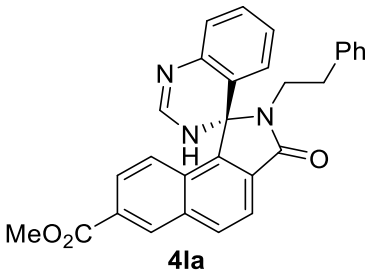


Signal: VWD1B,Wavelength=254 nm

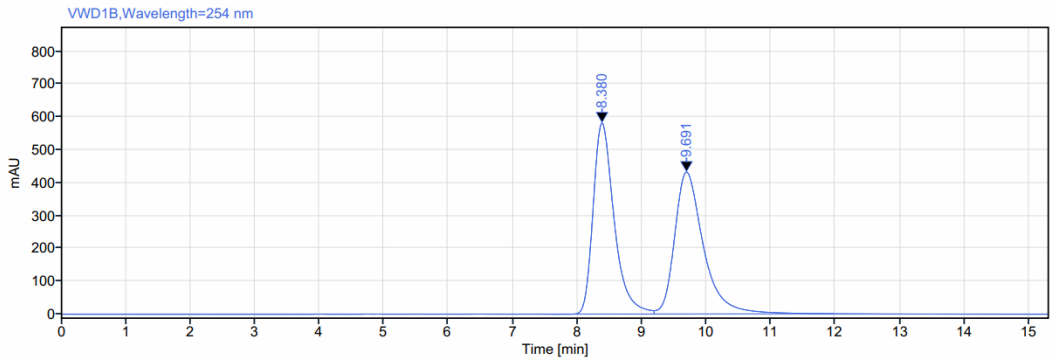
RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.870	MM m	3.44	27643.89	1042.66	90.06	
12.187	MM m	1.59	3049.52	75.52	9.94	
		Sum	**30693.41**			

HPLC (Chiralpak IC-3): t_R = 9.87 (major), 12.19 (minor)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

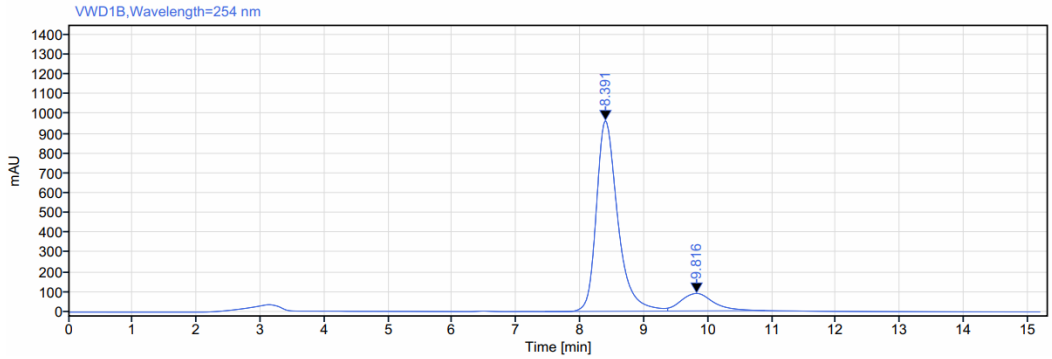


4la



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.380	MM m	1.59	13176.09	582.25	49.56	
9.691	MM m	2.79	13411.58	432.14	50.44	
		Sum	**26587.68**			

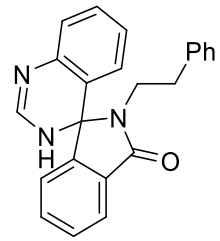


Signal: VWD1B,Wavelength=254 nm

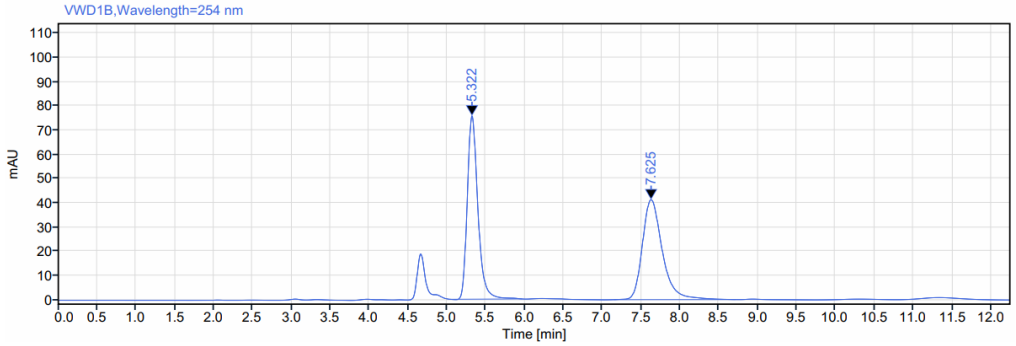
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.391	MM m	1.92	22337.10	961.36	87.73	
9.816	MM m	1.52	3125.47	87.96	12.27	
		Sum	**25462.57**			

HPLC (Chiralpak IC-3): t_R = 8.39 (major), 9.82 (minor)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

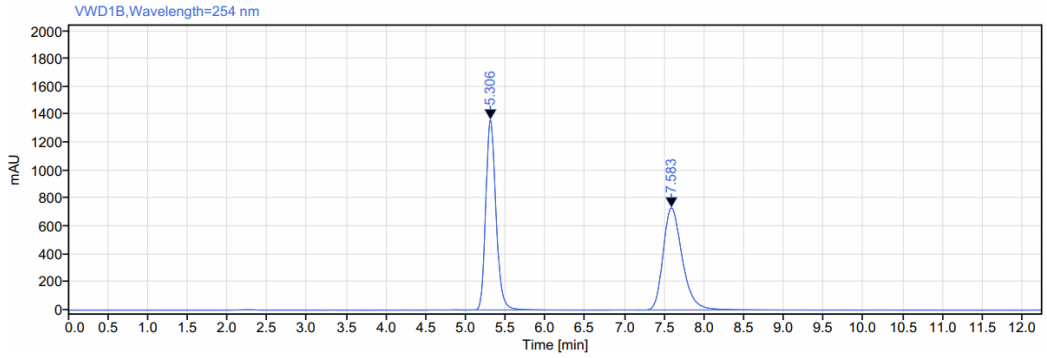


4oa



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.322	MM m	0.93	715.35	75.63	49.37	
7.625	MM m	1.56	733.48	41.24	50.63	
		Sum	**1448.84**			

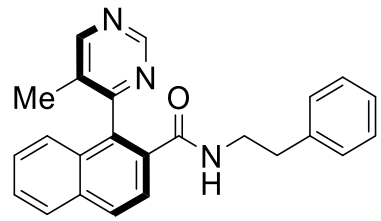


Signal: VWD1B,Wavelength=254 nm

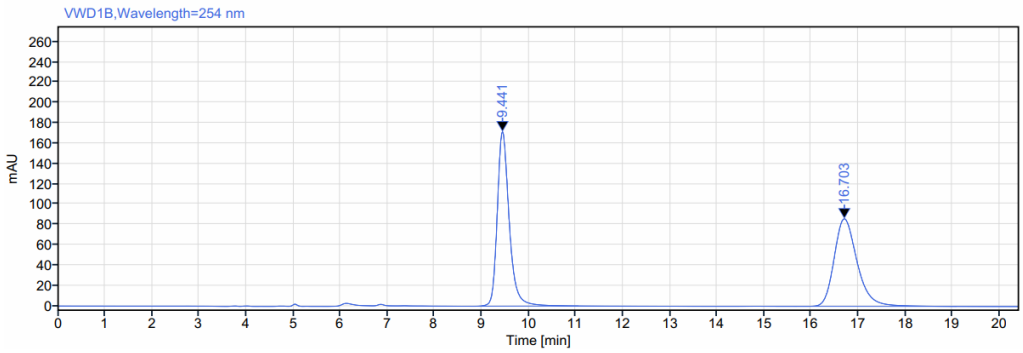
RT [min]	Type	Width [min]	Area	Height	Area%	Name
5.306	MM m	1.39	12192.51	1361.73	49.86	
7.583	MM m	1.85	12259.28	731.48	50.14	
		Sum	**24451.79**			

HPLC (Chiralpak IC-3): t_R = 5.31 (major), 7.58 (minor)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

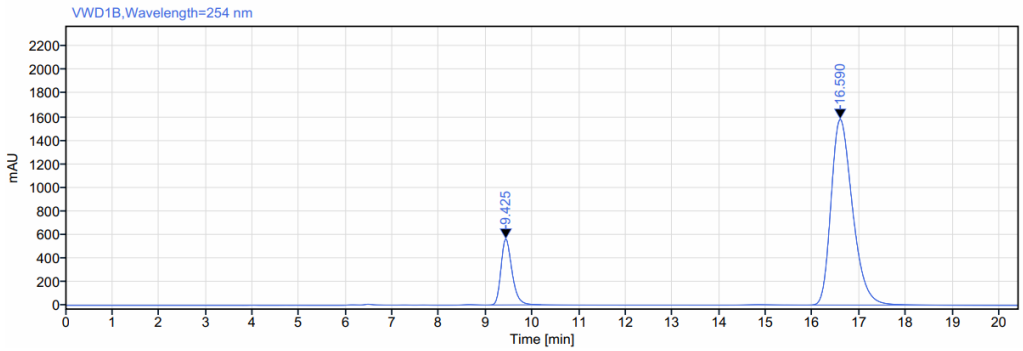


4pa



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.441	MM m	2.46	3025.14	171.68	50.84	
16.703	MM m	3.85	2924.71	86.18	49.16	
		Sum	**5949.86**			

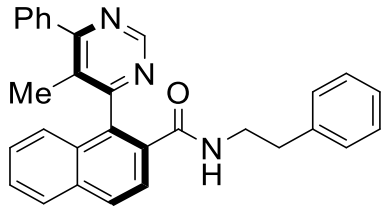


Signal: VWD1B,Wavelength=254 nm

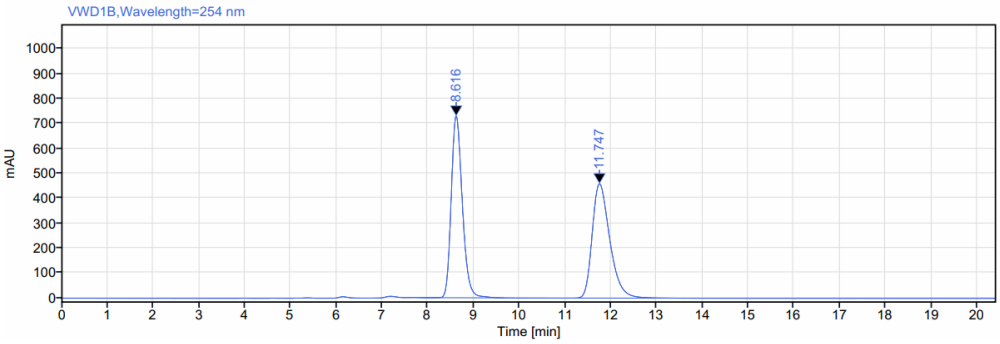
RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.425	MM m	1.19	9217.65	560.26	15.42	
16.590	MB m	5.50	50554.27	1580.14	84.58	
		Sum	**59771.91**			

HPLC (Chiralpak IC-3): t_R = 9.43 (major), 16.60 (minor)

Condition: *n*-Hexane:*i*-PrOH= 50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

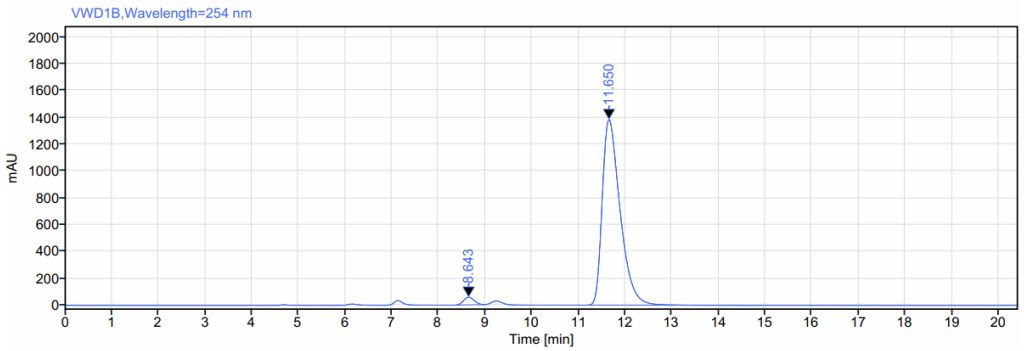


4qa



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.616	MM m	1.82	12277.30	729.30	50.02	
11.747	MM m	2.63	12265.07	459.15	49.98	
		Sum	**24542.36**			

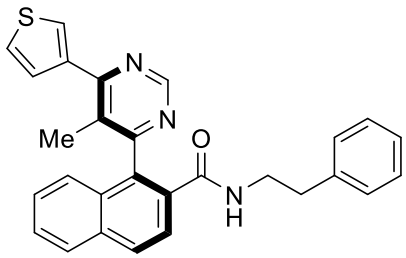


Signal: VWD1B,Wavelength=254 nm

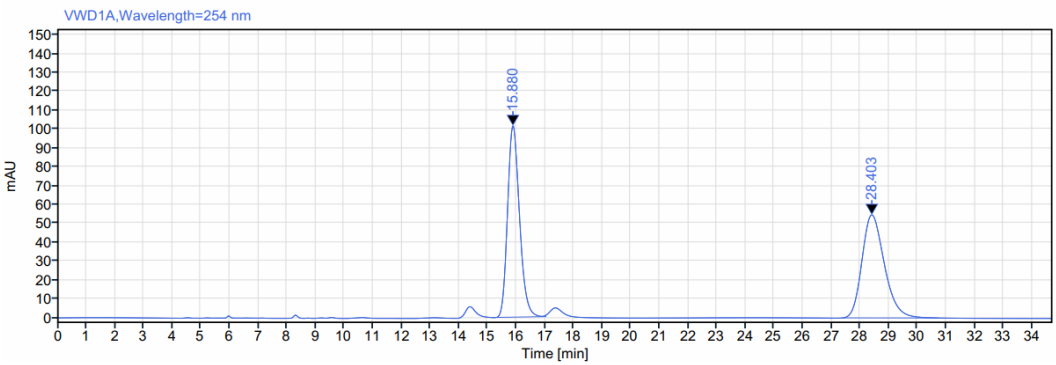
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.643	MM m	0.74	897.09	56.87	2.38	
11.650	BB	3.71	36751.27	1383.54	97.62	
		Sum	**37648.36**			

HPLC (Chiralpak IC-3): t_R = 8.64 (major), 11.65 (minor)

Condition: *n*-Hexane:*i*-PrOH= 50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

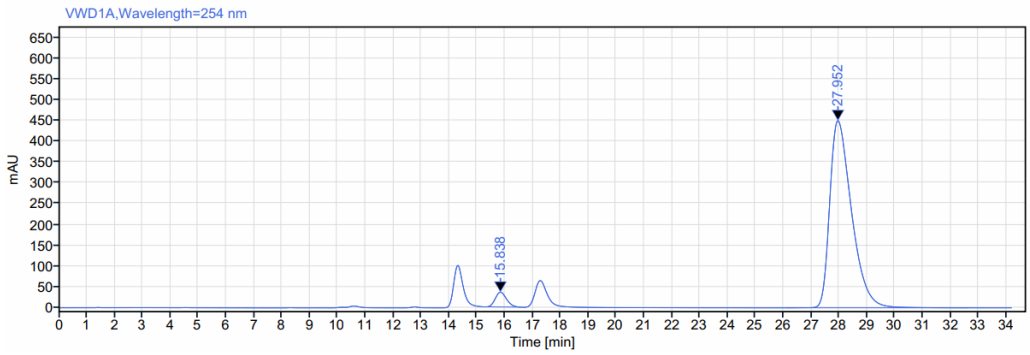


4ra



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
15.880	MM m	1.90	2933.49	101.38	49.79	
28.403	MM m	3.33	2958.03	54.62	50.21	
		Sum	**5891.52**			

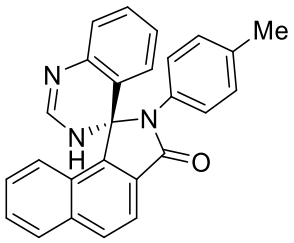


Signal: VWD1A,Wavelength=254 nm

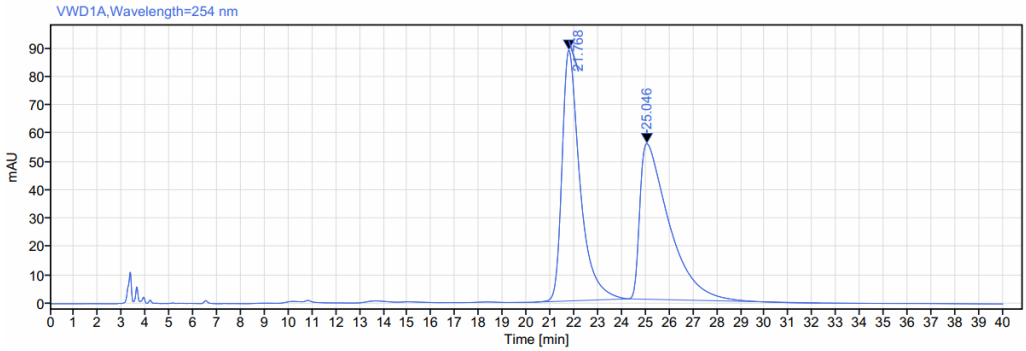
RT [min]	Type	Width [min]	Area	Height	Area%	Name
15.838	MM m	1.19	993.00	35.50	3.90	
27.952	MM m	6.53	24437.49	450.45	96.10	
		Sum	**25430.49**			

HPLC (Chiralpak IC-3): t_R = 15.84 (major), 27.95 (minor)

Condition: *n*-Hexane:*i*-PrOH= 70:30, flow rate 0.7 mL/min, 25 ºC, 254 nm.

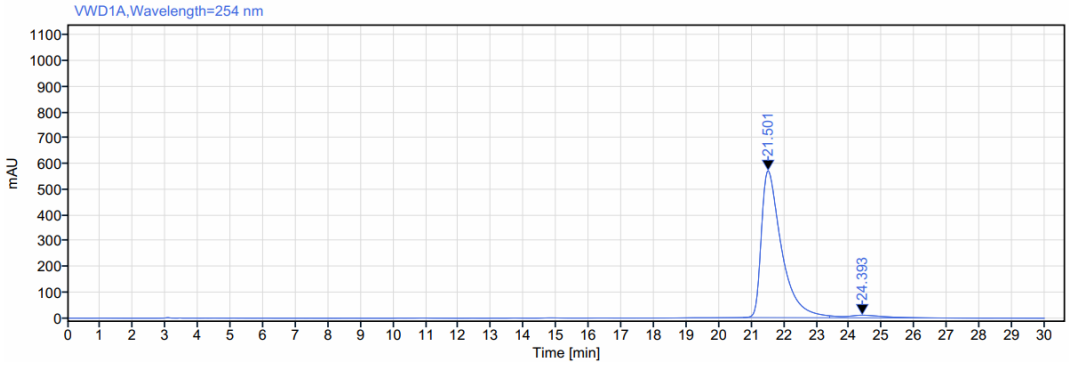


5aa



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
21.768	MM m	3.93	4631.29	88.47	49.70	
25.046	MM m	8.03	4686.57	54.90	50.30	
		Sum	**9317.86**			

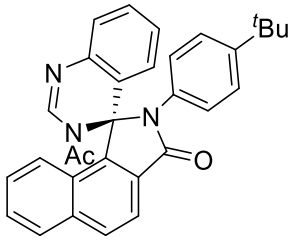


Signal: VWD1A,Wavelength=254 nm

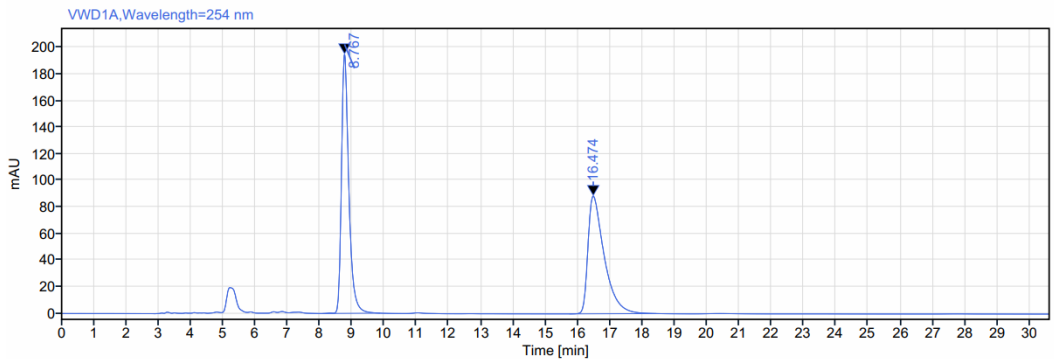
RT [min]	Type	Width [min]	Area	Height	Area%	Name
21.501	MM m	2.66	24543.74	570.54	96.54	
24.393	MM m	3.46	878.83	10.09	3.46	
		Sum	**25422.57**			

HPLC (Chiralpak IA-3): t_R = 21.50 (major), 24.39 (minor)

Condition: 80:20, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

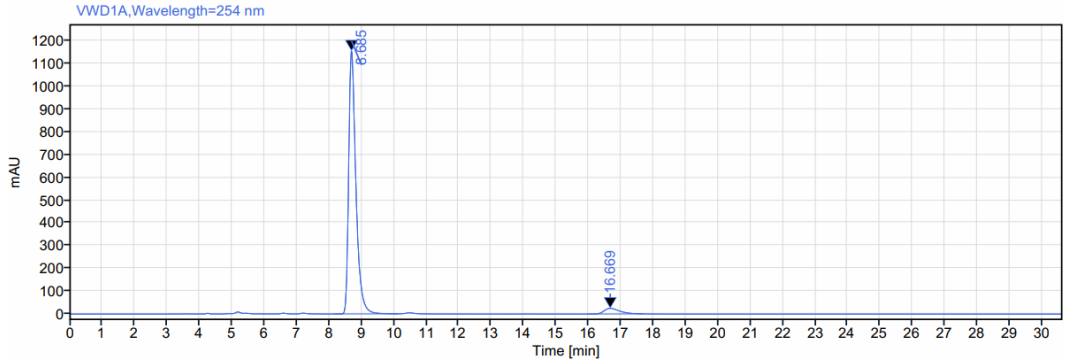


5ab



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.767	MM m	1.78	3084.16	194.92	49.90	
16.474	MM m	2.68	3096.70	88.61	50.10	
		Sum	**6180.86**			

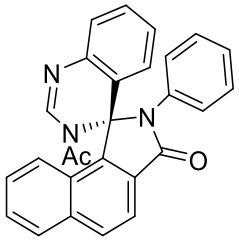


Signal: VWD1A,Wavelength=254 nm

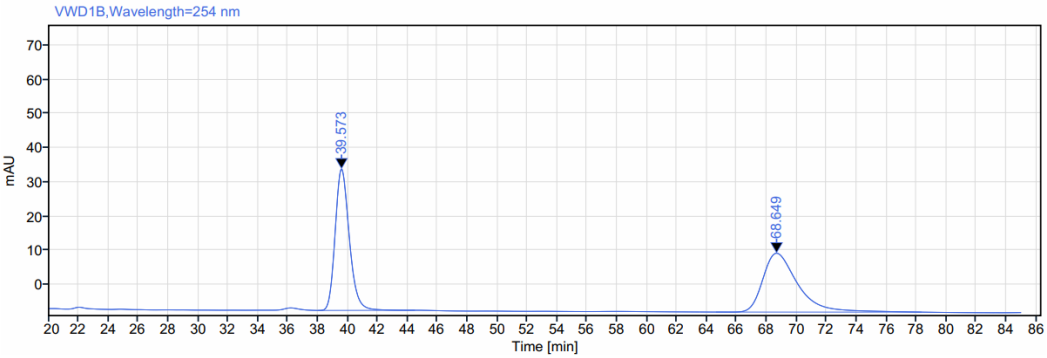
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.685	MM m	1.94	17976.29	1157.35	95.58	
16.669	MM m	1.72	831.70	24.83	4.42	
		Sum	**18807.99**			

HPLC (Chiralpak IA-3): t_R = 8.69 (major), 16.67 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

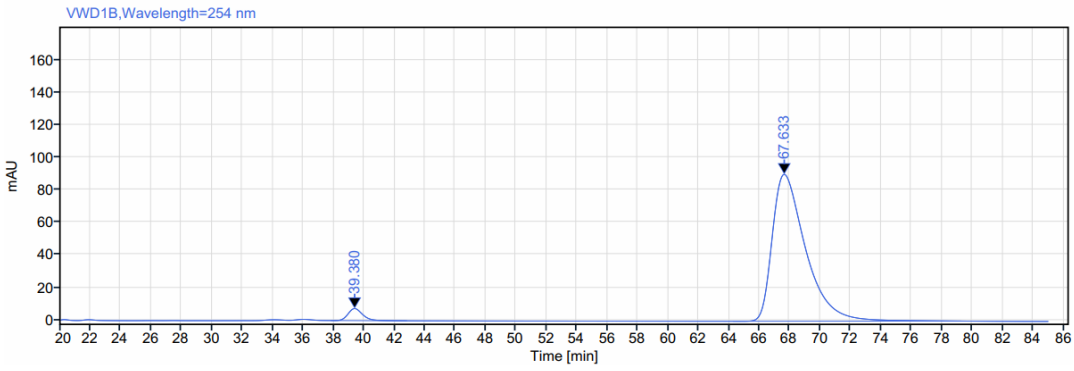


5ac



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
39.573	MM m	6.47	2718.29	41.39	49.34	
68.649	MM m	13.73	2790.96	17.23	50.66	
		Sum	**5509.25**			

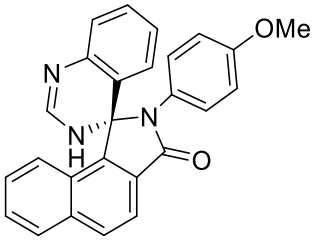


Signal: VWD1B,Wavelength=254 nm

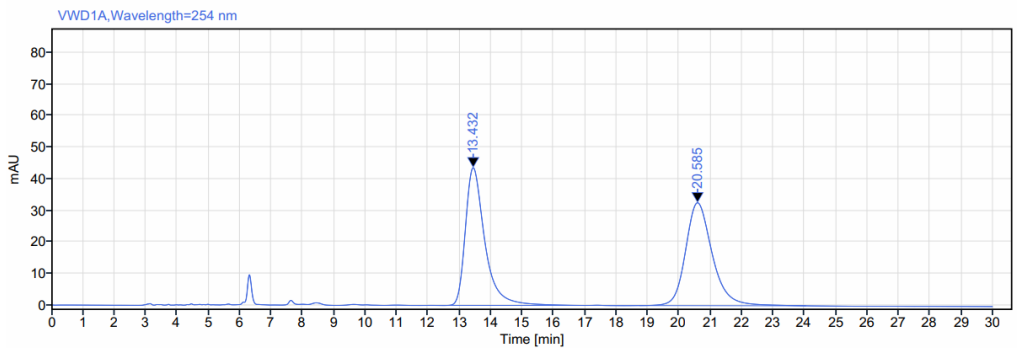
RT [min]	Type	Width [min]	Area	Height	Area%	Name
39.380	MM m	5.02	492.45	7.38	3.45	
67.633	MM m	14.98	13769.34	90.25	96.55	
		Sum	**14261.79**			

HPLC (Chiralpak IC-3): t_R = 39.38 (minor), 67.63 (major)

Condition: *n*-Hexane:*i*-PrOH=40:60, flow rate 0.5 mL/min, 25 ºC, 254 nm.

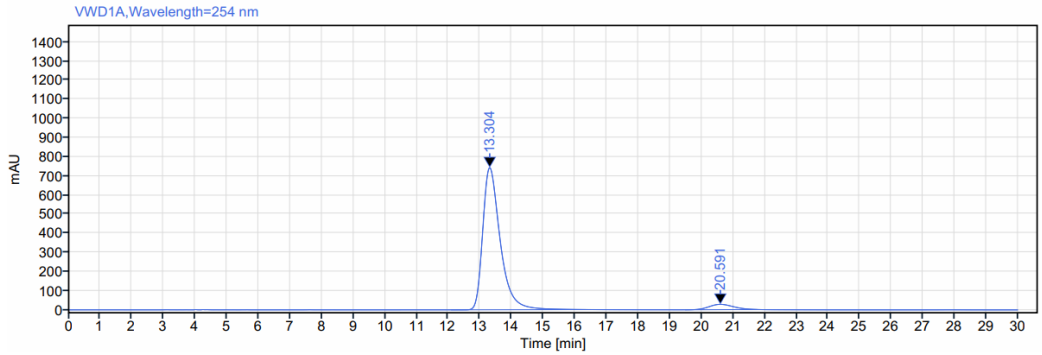


5ad



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.432	MM m	4.94	1882.35	43.70	49.36	
20.585	MM m	5.31	1931.36	32.66	50.64	
		Sum	**3813.71**			

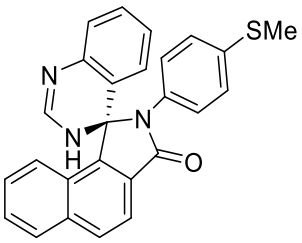


Signal: VWD1A,Wavelength=254 nm

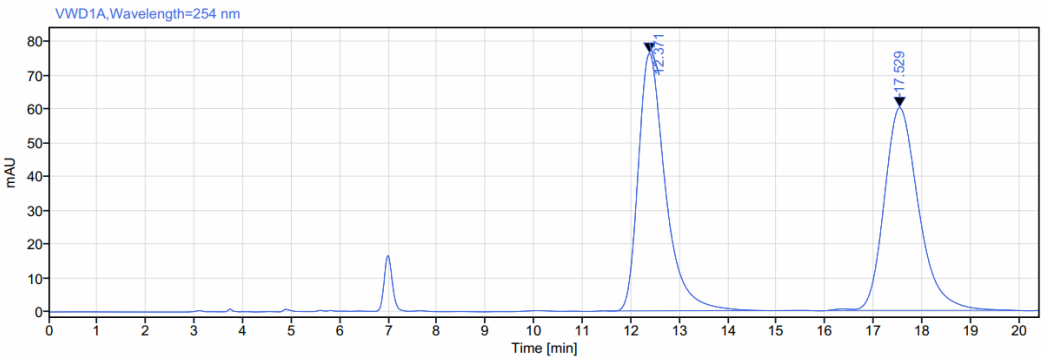
RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.304	MM m	4.27	28488.22	743.30	95.04	
20.591	MM m	2.28	1487.42	27.87	4.96	
		Sum	**29975.64**			

HPLC (Chiralpak IC-3): t_R = 13.30 (major), 20.59 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

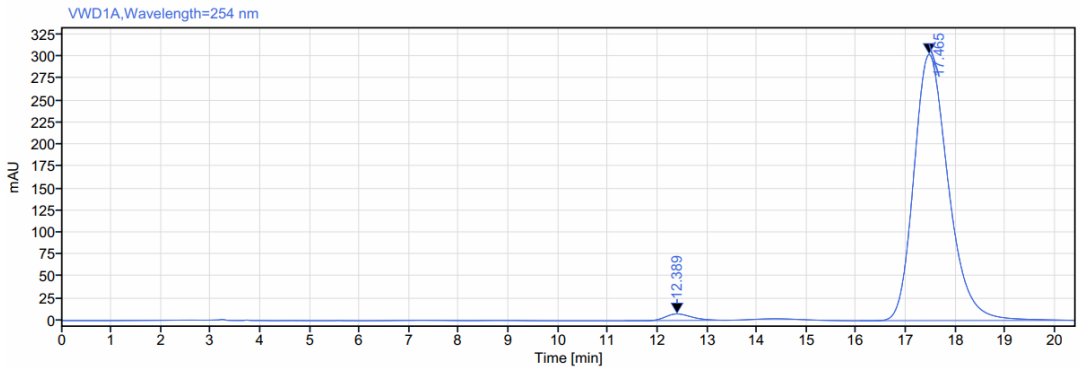


5ae



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.371	MM m	3.10	2947.04	76.35	49.92	
17.529	MM m	3.80	2956.15	60.12	50.08	
		Sum	**5903.20**			

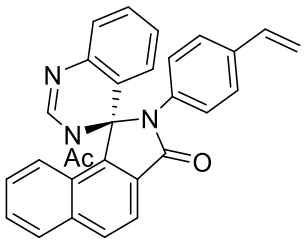


Signal: VWD1A,Wavelength=254 nm

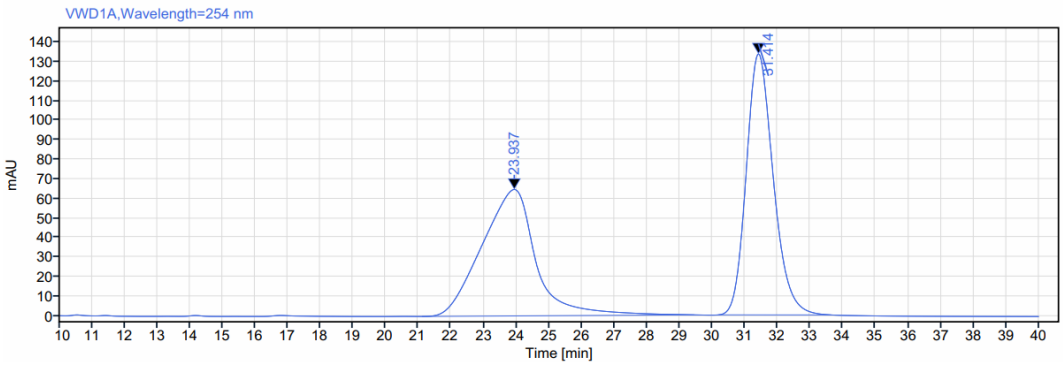
RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.389	MM m	2.09	271.75	7.48	1.83	
17.465	MM m	4.45	14563.92	302.62	98.17	
		Sum	**14835.67**			

HPLC (Chiralpak IC-3): t_R = 17.47 (major), 12.39 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

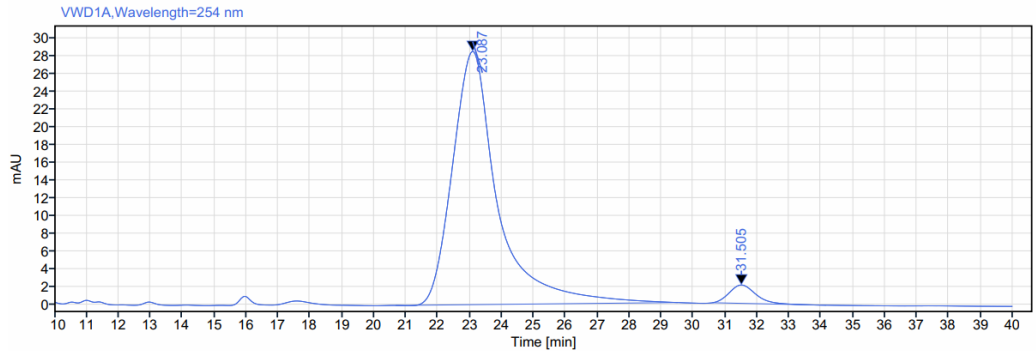


5af



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
23.937	MM m	8.34	7428.37	64.65	49.13	
31.414	MM m	3.43	7692.76	133.41	50.87	
		Sum	**15121.13**			

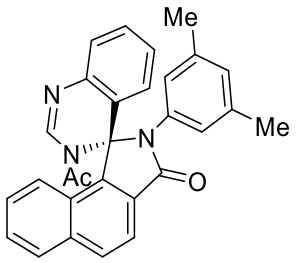


Signal: VWD1A,Wavelength=254 nm

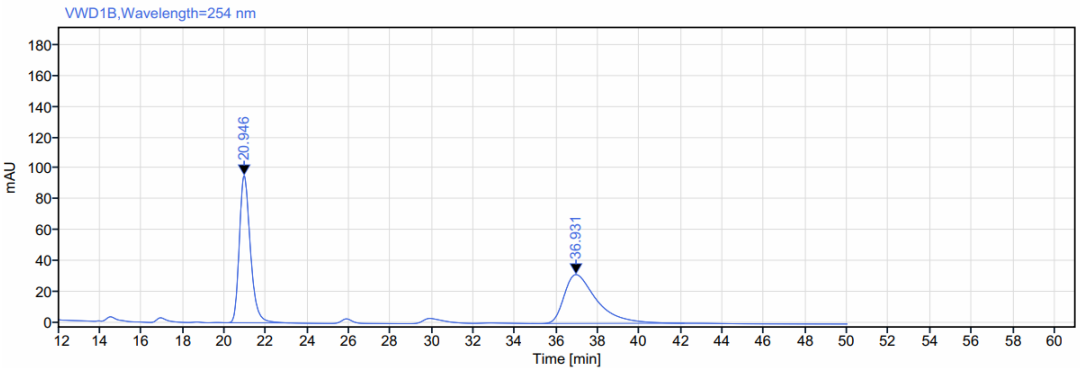
RT [min]	Type	Width [min]	Area	Height	Area%	Name
23.087	MM m	8.84	2792.09	28.48	95.92	
31.505	MM m	3.56	118.68	2.06	4.08	
		Sum	**2910.77**			

HPLC (Chiralpak IA-3): t_R = 31.51 (major), 23.09 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

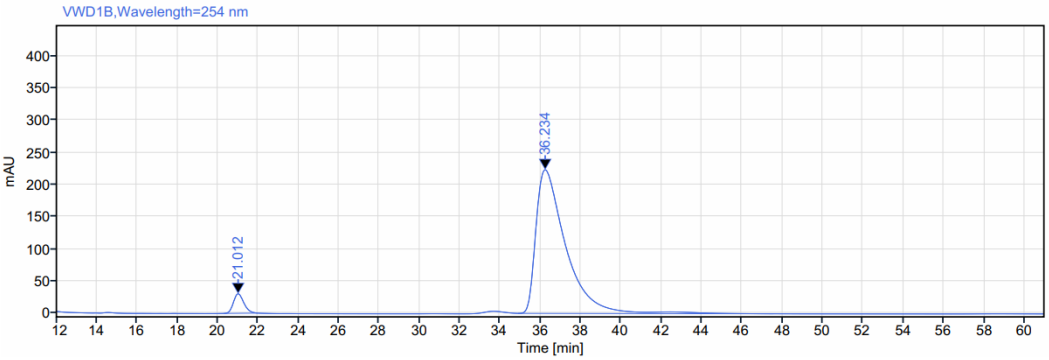


5ag



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
20.946	MM m	3.11	3542.72	95.70	50.60	
36.931	MM m	7.37	3458.28	31.80	49.40	
		Sum	**7001.00**			

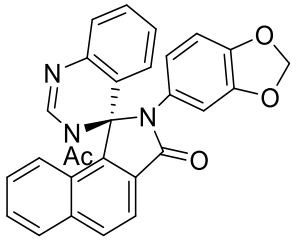


Signal: VWD1B,Wavelength=254 nm

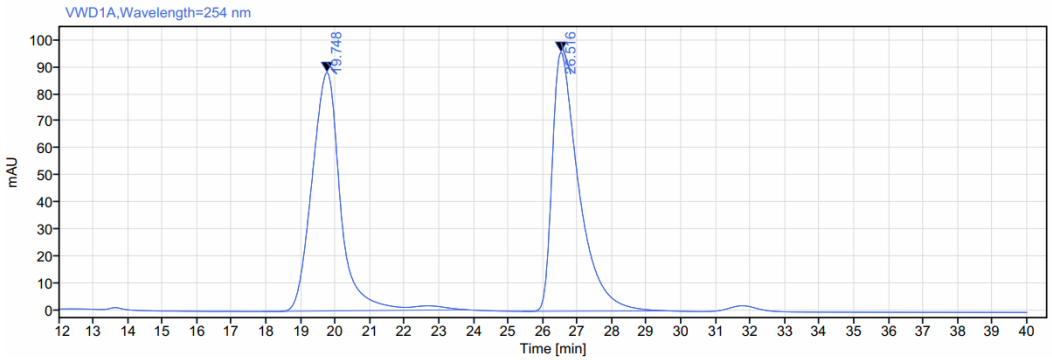
RT [min]	Type	Width [min]	Area	Height	Area%	Name
21.012	MM m	1.67	1088.17	30.29	4.51	
36.234	MM m	12.64	23042.65	222.97	95.49	
		Sum	**24130.82**			

HPLC (Chiralpak IC-3): t_R = 36.24 (major), 21.01 (minor)

Condition: 40:60, *n*-Hexane:*i*-PrOH, flow rate 0.5 mL/min, 25 ºC, 254 nm.

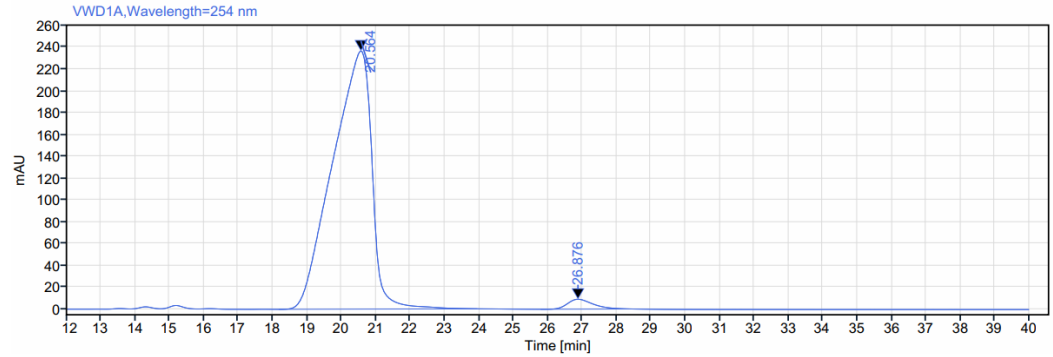


5ah



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
19.748	MM m	5.90	5109.49	88.37	49.95	
26.516	MM m	4.18	5119.86	95.96	50.05	
		Sum	**10229.34**			

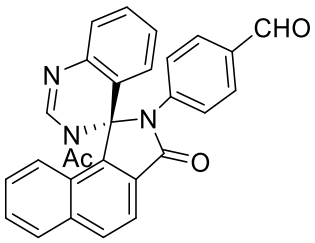


Signal: VWD1A,Wavelength=254 nm

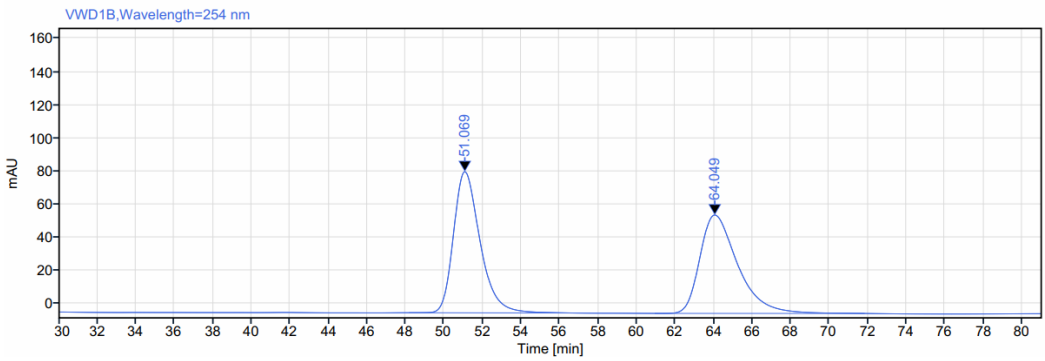
RT [min]	Type	Width [min]	Area	Height	Area%	Name
20.564	MM m	5.78	18775.32	236.62	97.57	
26.876	MM m	2.65	467.38	9.09	2.43	
		Sum	**19242.70**			

HPLC (Chiralpak IA-3): t_R = 20.56 (major), 26.88 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

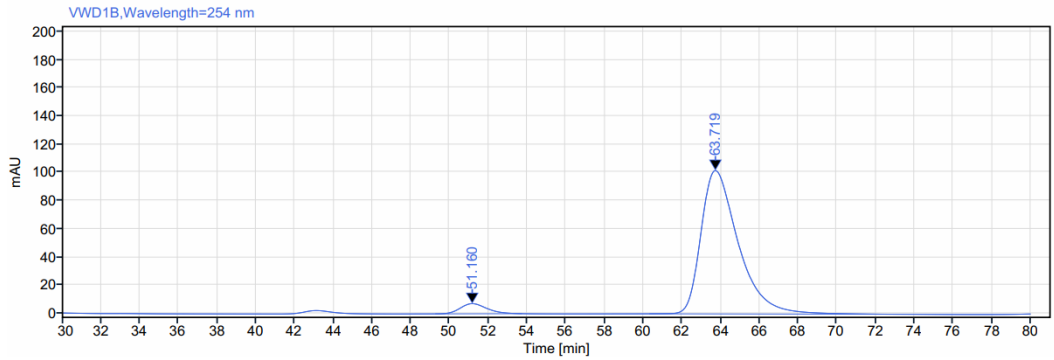


5ai



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
51.069	MM m	8.48	8167.37	85.11	50.17	
64.049	MM m	10.90	8112.70	59.34	49.83	
		Sum	**16280.07**			

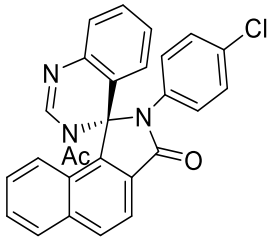


Signal: VWD1B,Wavelength=254 nm

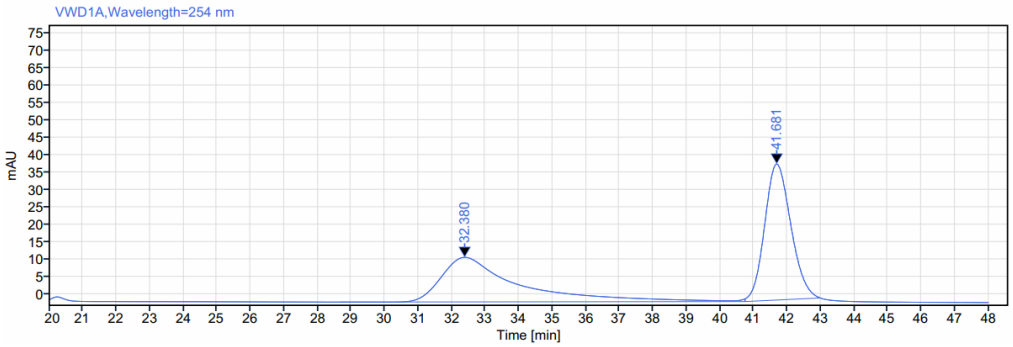
RT [min]	Type	Width [min]	Area	Height	Area%	Name
51.160	MM m	4.47	687.45	7.20	4.80	
63.719	MM m	12.30	13627.41	101.78	95.20	
		Sum	**14314.86**			

HPLC (Chiralpak IC-3): t_R = 51.16 (minor), 63.72 (major)

Condition: *n*-Hexane:*i*-PrOH=40:60, flow rate 0.5 mL/min, 25 ºC, 254 nm.

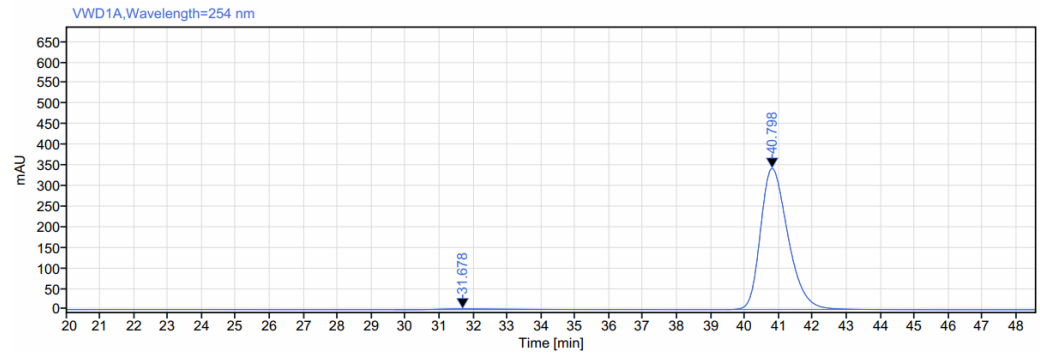


5aj



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
32.380	MM m	12.08	2020.59	12.79	48.84	
41.681	MM m	2.24	2116.66	39.00	51.16	
		Sum	**4137.25**			

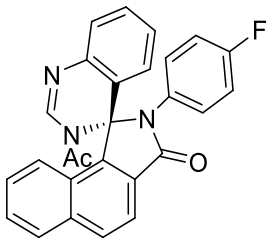


Signal: VWD1A,Wavelength=254 nm

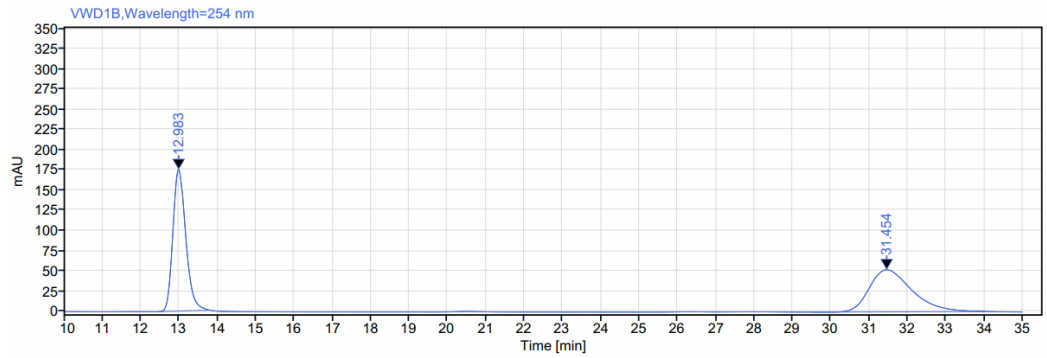
RT [min]	Type	Width [min]	Area	Height	Area%	Name
31.678	MM m	6.41	264.63	1.90	1.35	
40.798	MM m	4.23	19269.30	343.02	98.65	
		Sum	**19533.93**			

HPLC (Chiralpak IA-3): t_R = 31.69 (minor), 40.80 (major)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

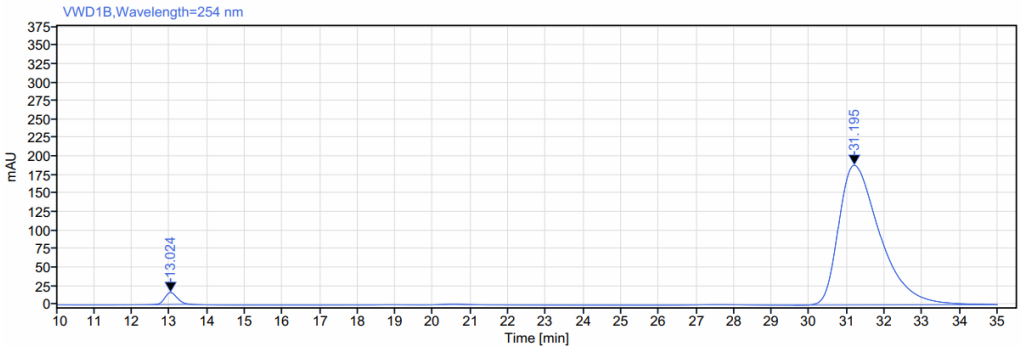


5ak



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.983	MM m	1.41	4102.51	175.62	50.49	
31.454	MM m	4.51	4022.60	52.28	49.51	
		Sum	**8125.10**			

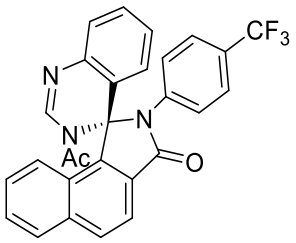


Signal: VWD1B,Wavelength=254 nm

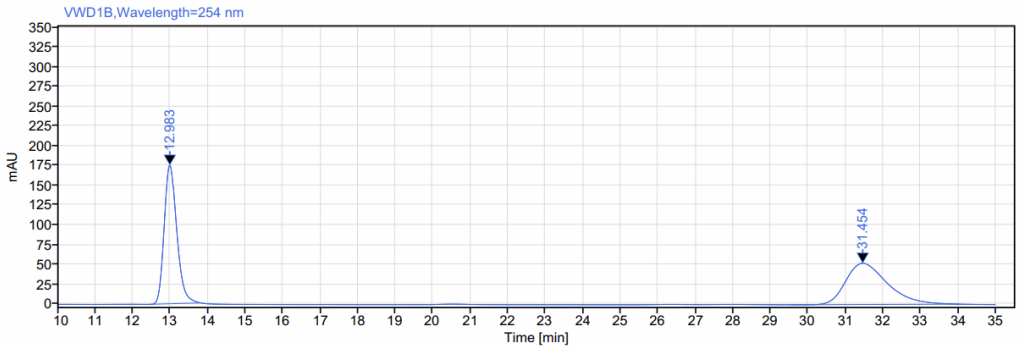
RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.024	MM m	1.05	374.81	16.32	2.54	
31.195	MM m	5.40	14399.99	188.69	97.46	
		Sum	**14774.80**			

HPLC (Chiralpak IC-3): t_R = 13.02 (minor), 31.20 (major)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

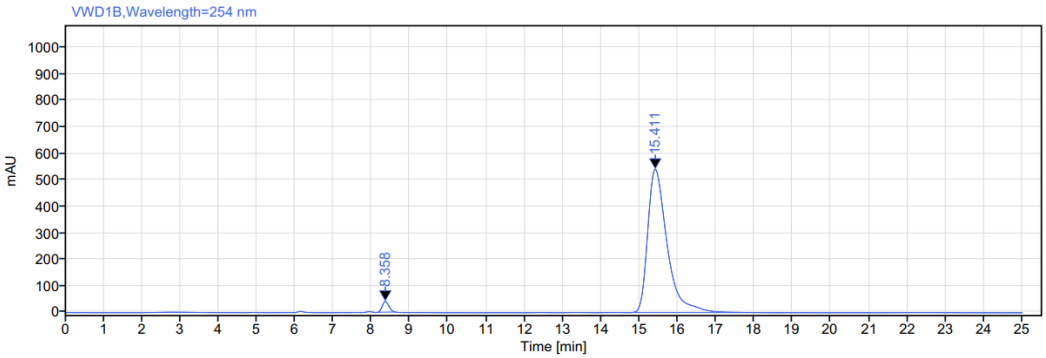


5al



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
12.983	MM m	1.41	4102.51	175.62	50.49	
31.454	MM m	4.51	4022.60	52.28	49.51	
		Sum	**8125.10**			

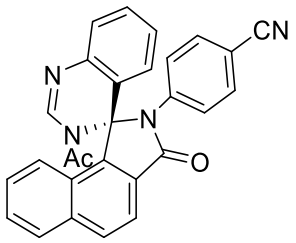


Signal: VWD1B,Wavelength=254 nm

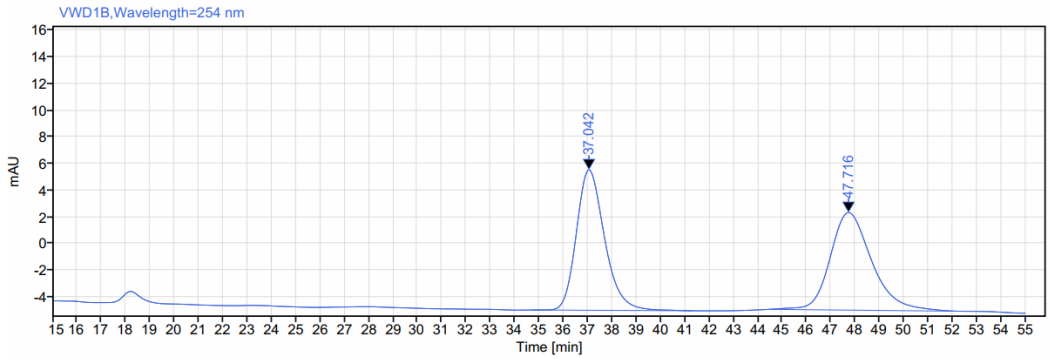
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.358	MM m	0.46	494.87	41.19	2.52	
15.411	MM m	4.50	19112.94	541.00	97.48	
		Sum	**19607.81**			

HPLC (Chiralpak IC-3): t_R = 8.36 (minor), 15.41 (major)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

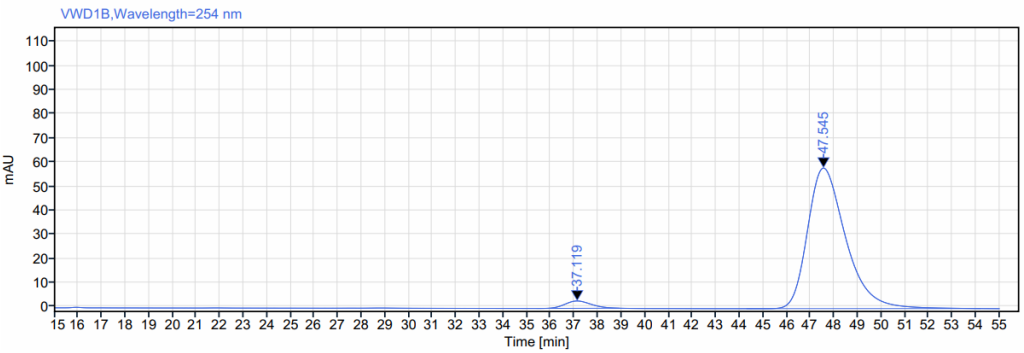


5am



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
37.042	MM m	6.58	848.54	10.53	49.46	
47.716	MM m	7.81	866.98	7.31	50.54	
		Sum	**1715.52**			

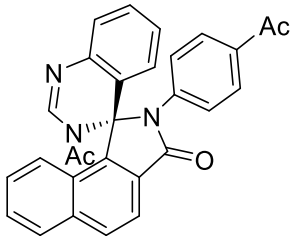


Signal: VWD1B,Wavelength=254 nm

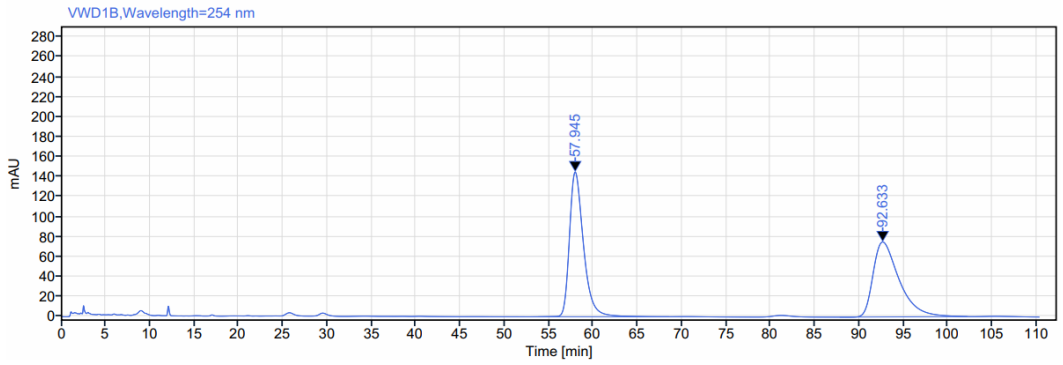
RT [min]	Type	Width [min]	Area	Height	Area%	Name
37.119	MM m	3.50	234.93	3.05	3.47	
47.545	MM m	9.91	6536.89	58.34	96.53	
		Sum	**6771.82**			

HPLC (Chiralpak IC-3): t_R = 37.12 (minor), 47.55 (major)

Condition: *n*-Hexane:*i*-PrOH=50:50, flow rate 0.8 mL/min, 25 ºC, 254 nm.

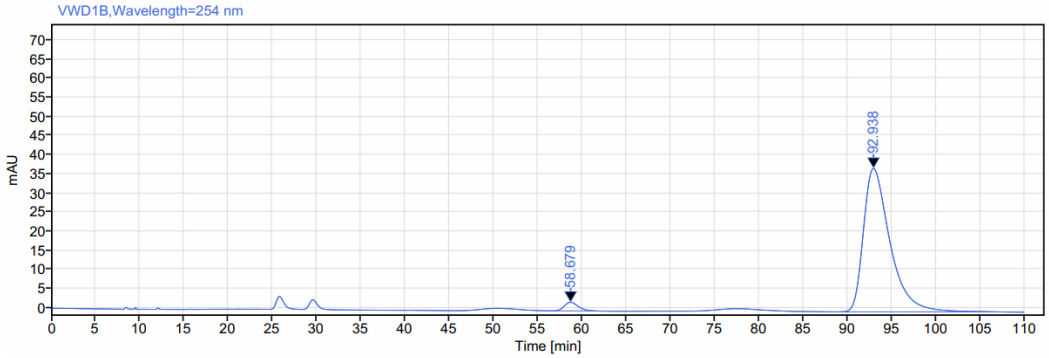


5an



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
57.945	MM m	14.73	15928.73	144.80	50.94	
92.633	MM m	14.39	15339.32	75.02	49.06	
		Sum	**31268.05**			

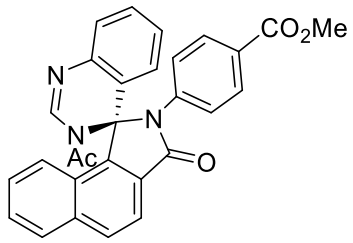


Signal: VWD1B,Wavelength=254 nm

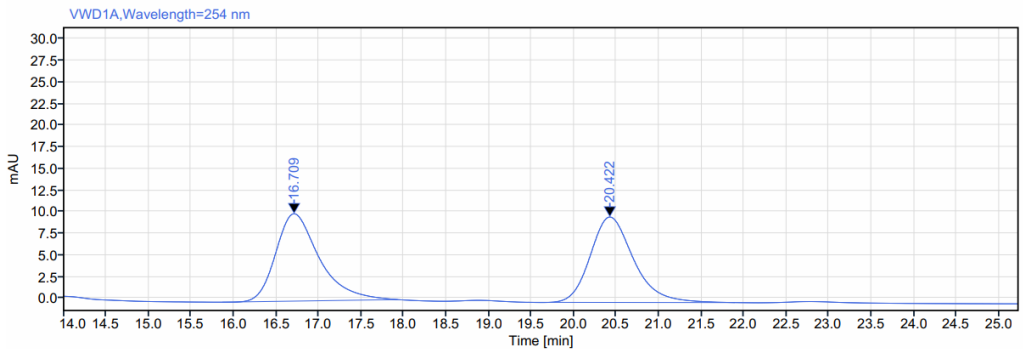
RT [min]	Type	Width [min]	Area	Height	Area%	Name
58.679	MM m	5.29	244.31	2.25	3.03	
92.938	MM m	18.28	7826.77	37.52	96.97	
		Sum	**8071.08**			

HPLC (Chiralpak IC-3): t_R = 58.68 (minor), 92.94 (major)

Condition: *n*-Hexane:*i*-PrOH=40:60, flow rate 0.5 mL/min, 25 ºC, 254 nm.

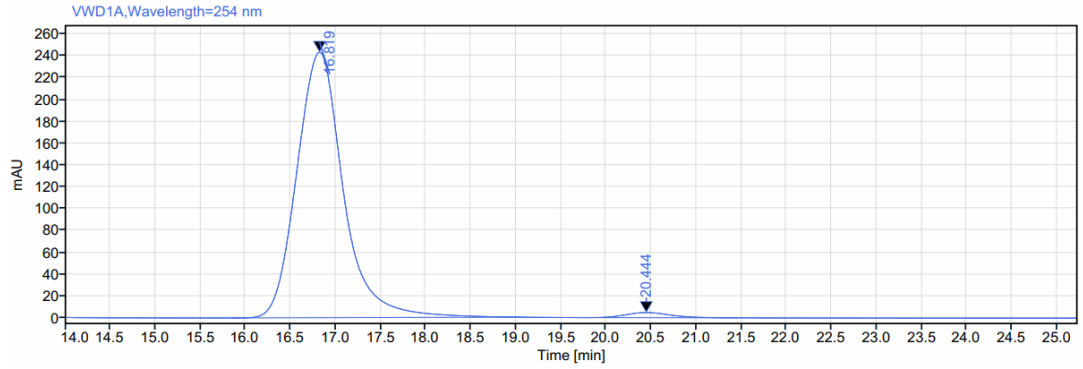


5ao



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.709	MM m	2.06	359.47	10.11	50.76	
20.422	MM m	2.44	348.75	9.88	49.24	
		Sum	**708.22**			

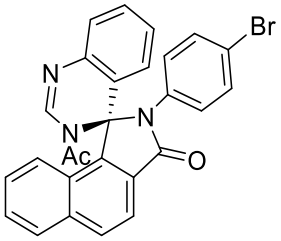


Signal: VWD1A,Wavelength=254 nm

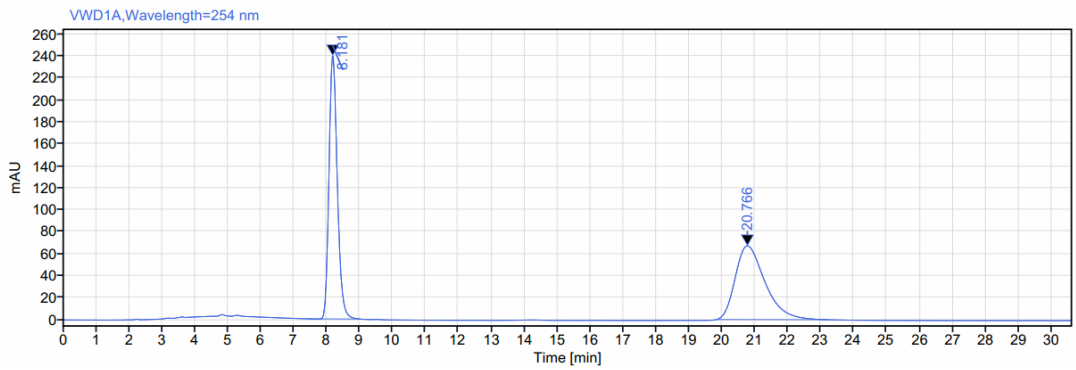
RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.819	MM m	3.21	8719.32	243.47	98.15	
20.444	MM m	1.72	164.73	4.78	1.85	
		Sum	**8884.05**			

HPLC (Chiralpak IA-3): t_R = 20.44 (major), 16.82 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

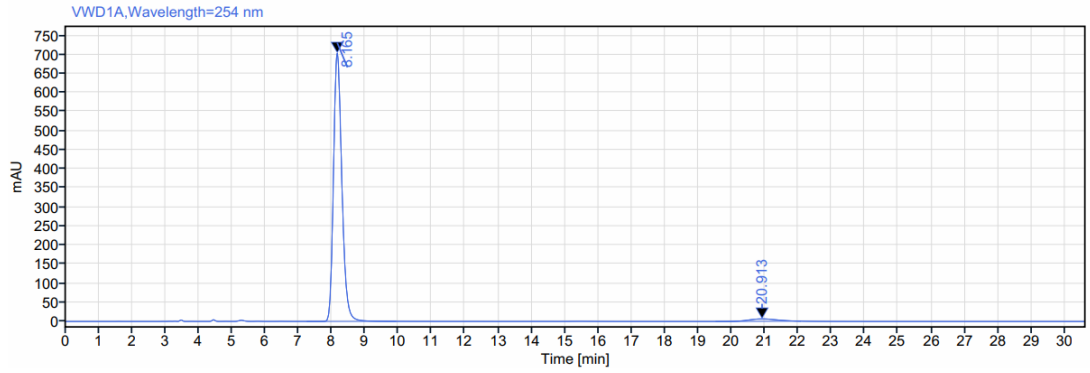


5ap



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.181	MM m	1.56	4213.51	239.85	50.02	
20.766	MM m	3.37	4210.21	67.25	49.98	
		Sum	**8423.72**			

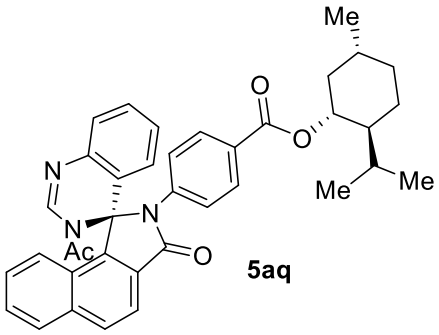


Signal: VWD1A,Wavelength=254 nm

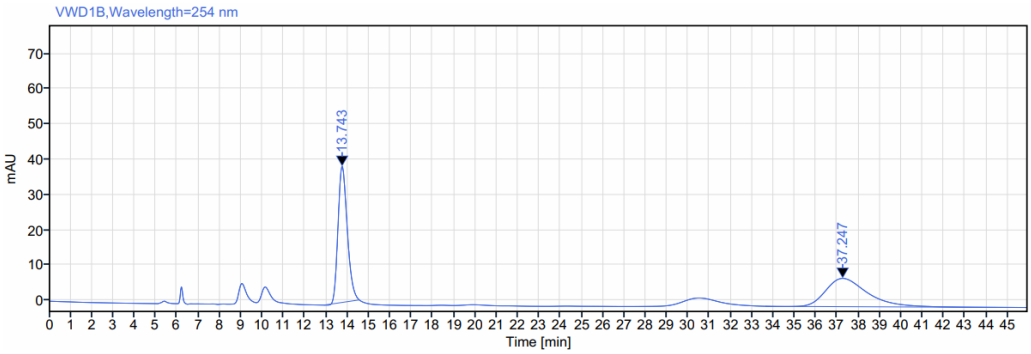
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.165	MM m	2.68	12329.59	704.16	96.98	
20.913	MM m	2.56	384.09	6.50	3.02	
		Sum	**12713.68**			

HPLC (Chiralpak IC-3): t_R = 8.17 (major), 20.91 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

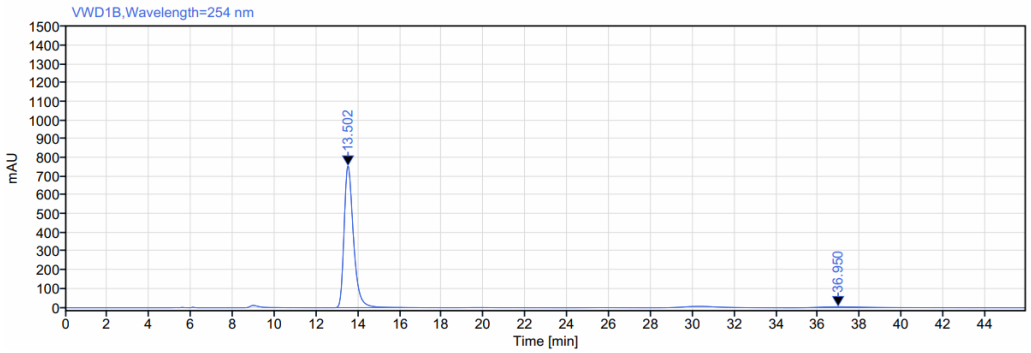


5aq



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.743	MM m	1.65	1166.03	38.58	51.53	
37.247	MM m	20.72	1096.79	8.03	48.47	
		Sum	**2262.82**			

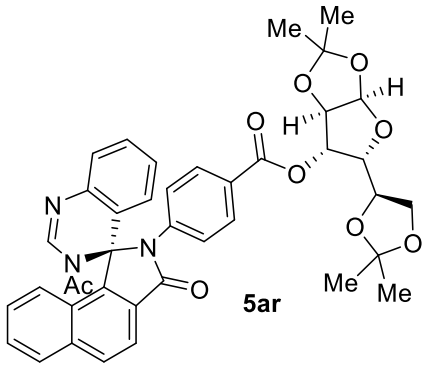


Signal: VWD1B,Wavelength=254 nm

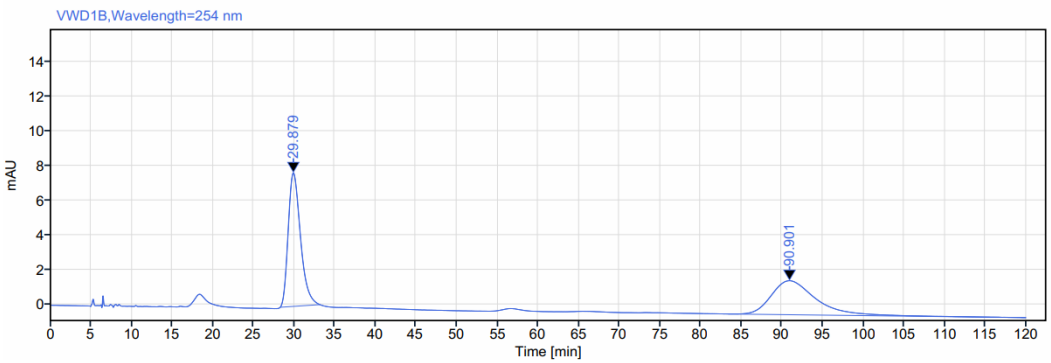
RT [min]	Type	Width [min]	Area	Height	Area%	Name
13.502	MM m	3.70	23525.35	755.99	97.20	
36.950	MM m	6.14	678.28	5.17	2.80	
		Sum	**24203.62**			

HPLC (Chiralpak IC-3): t_R = 13.50 (major), 36.95 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.7 mL/min, 25 ºC, 254 nm.

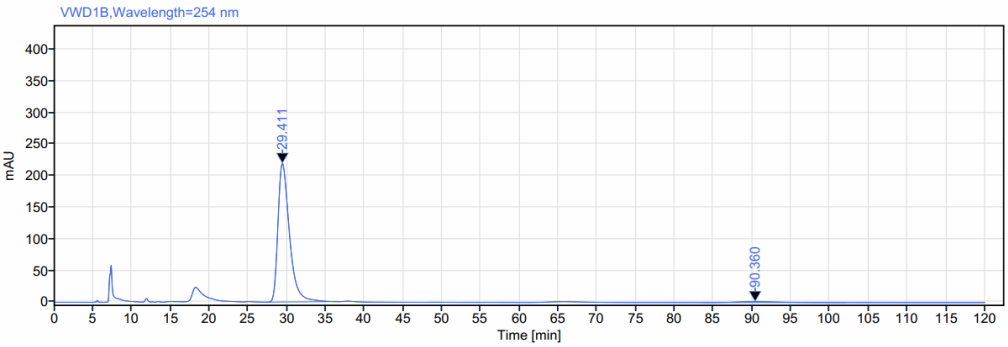


5ar



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
29.879	MM m	4.87	803.25	7.67	51.68	
90.901	MM m	36.71	751.03	1.95	48.32	
		Sum	**1554.28**			

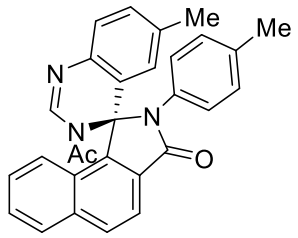


Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
29.411	MM m	9.72	22642.18	219.52	97.80	
90.360	MM m	18.63	509.51	1.36	2.20	
		Sum	**23151.69**			

HPLC (Chiralpak IC-3): t_R = 29.41 (major), 90.36 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.7 mL/min, 25 ºC, 254 nm.



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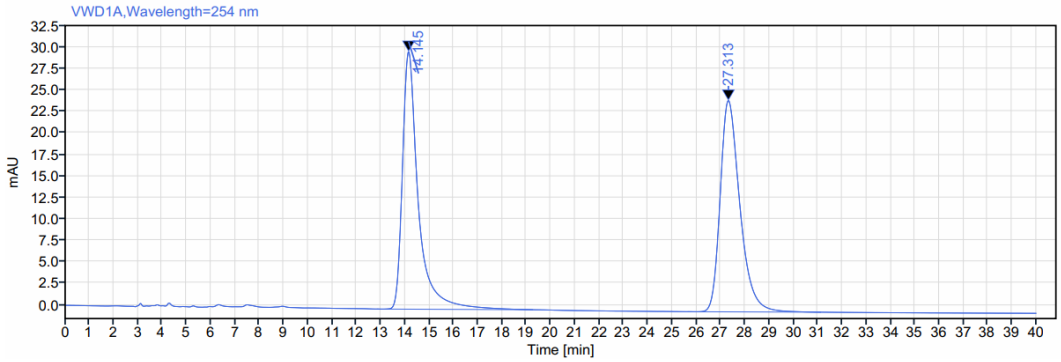
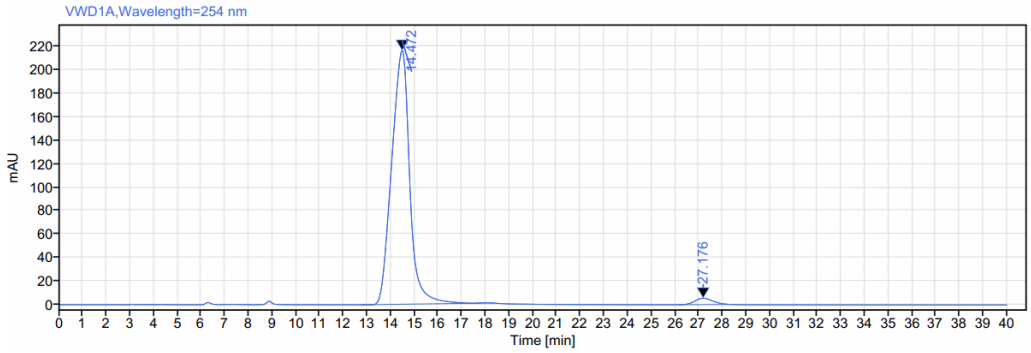


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
14.145	MM m	6.43	1362.43	29.98	49.59	
27.313	MM m	5.49	1385.18	24.60	50.41	
		Sum	**2747.61**			

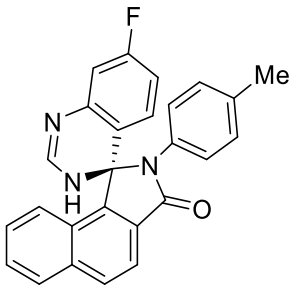


Signal: VWD1A,Wavelength=254 nm

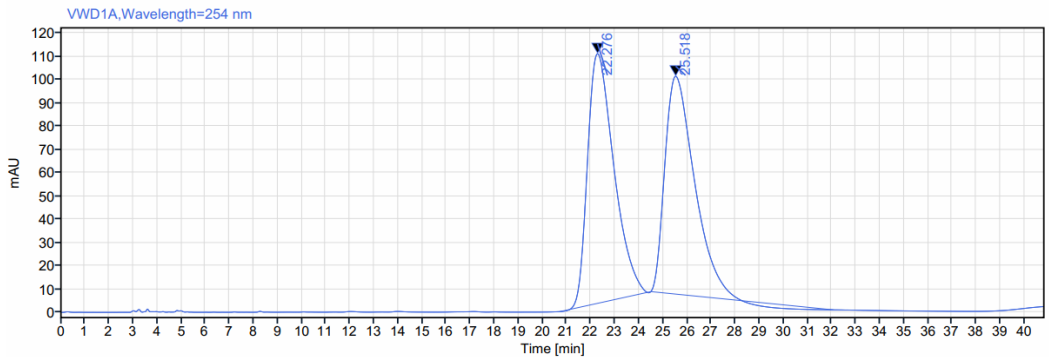
RT [min]	Type	Width [min]	Area	Height	Area%	Name
14.472	MM m	5.56	11435.15	216.58	97.54	
27.176	MM m	2.22	287.91	5.44	2.46	
		Sum	**11723.06**			

HPLC (Chiralpak IA-3): t_R = 27.18 (major), 14.47 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

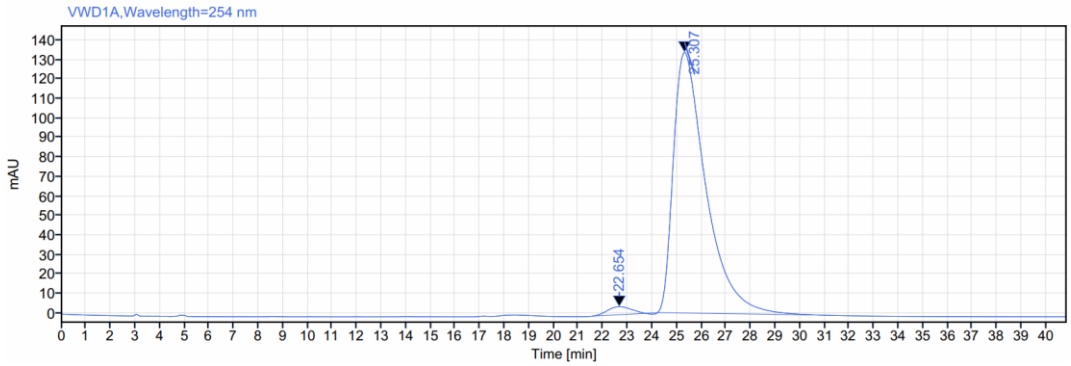


5ca



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
22.276	MM m	3.77	8181.23	107.35	51.05	
25.518	MM m	7.58	7844.16	93.71	48.95	
		Sum	**16025.39**			

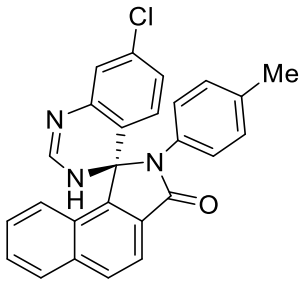


Signal: VWD1A,Wavelength=254 nm

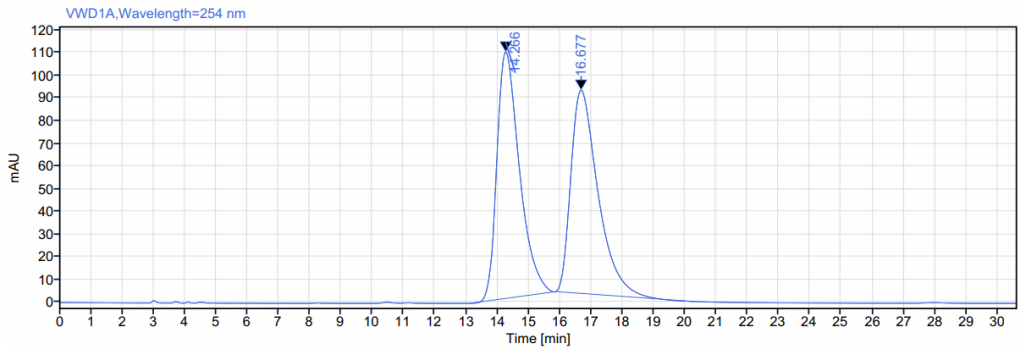
RT [min]	Type	Width [min]	Area	Height	Area%	Name
22.654	MM m	2.67	265.31	4.10	2.11	
25.307	MM m	6.37	12283.86	133.95	97.89	
		Sum	**12549.17**			

HPLC (Chiralpak OD-3): t_R = 25.31 (major), 22.65 (minor)

Condition: 93:7, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

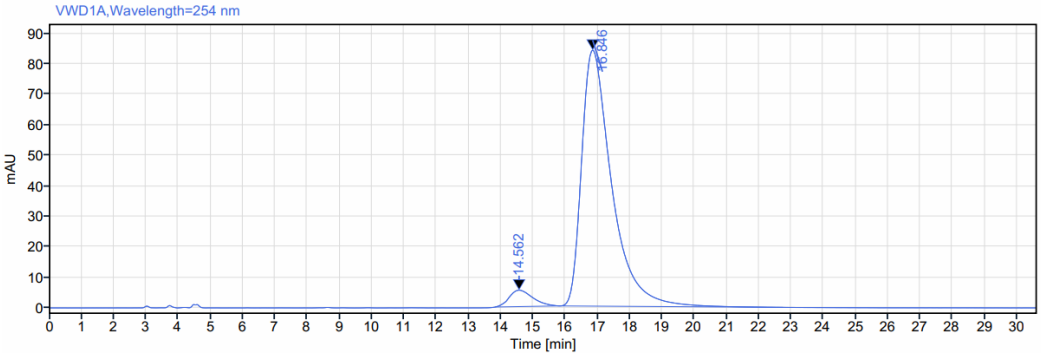


5da



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
14.266	MM m	2.76	5443.92	108.52	50.19	
16.677	MM m	4.44	5403.44	89.51	49.81	
		Sum	**10847.36**			

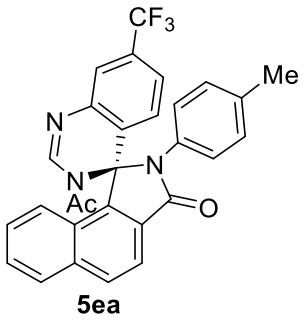


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
14.562	MM m	1.98	271.87	5.39	4.75	
16.846	MM m	6.94	5446.21	83.99	95.25	
		Sum	**5718.08**			

HPLC (Chiralpak OD-3): t_R = 16.85 (major), 14.56 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.



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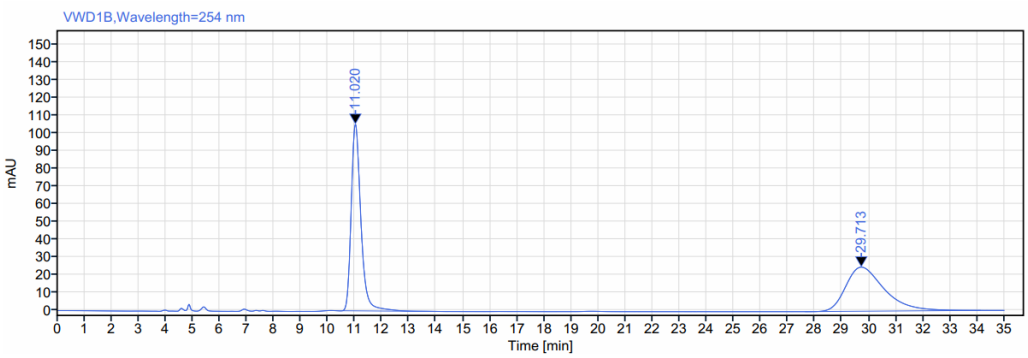
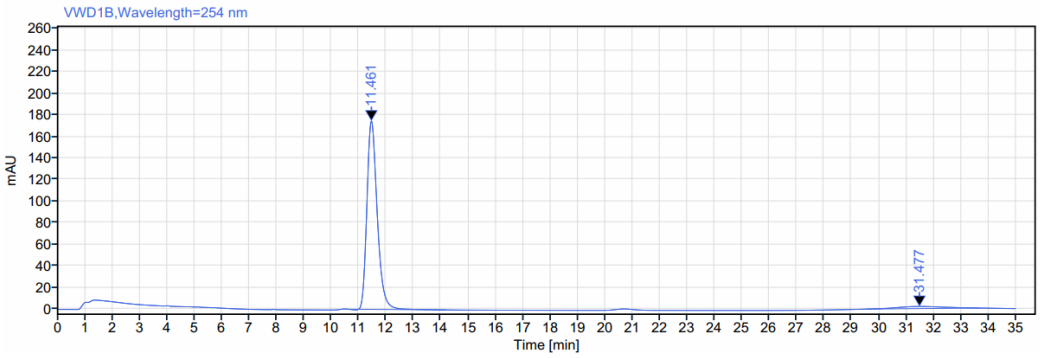


Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
11.020	MM m	3.88	2624.65	105.05	51.65	
29.713	MM m	6.49	2457.06	24.84	48.35	
		Sum	**5081.71**			

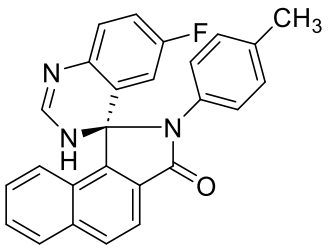


Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
11.461	MM m	3.58	4575.54	174.56	95.07	
31.477	MM m	4.12	237.14	1.97	4.93	
		Sum	**4812.68**			

HPLC (Chiralpak IC-3): t_R = 11.46 (major), 31.48 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.8 mL/min, 25 ºC, 254 nm.



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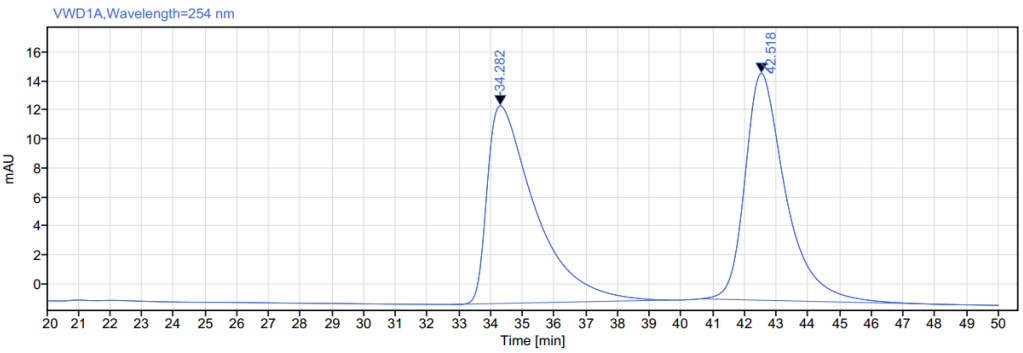
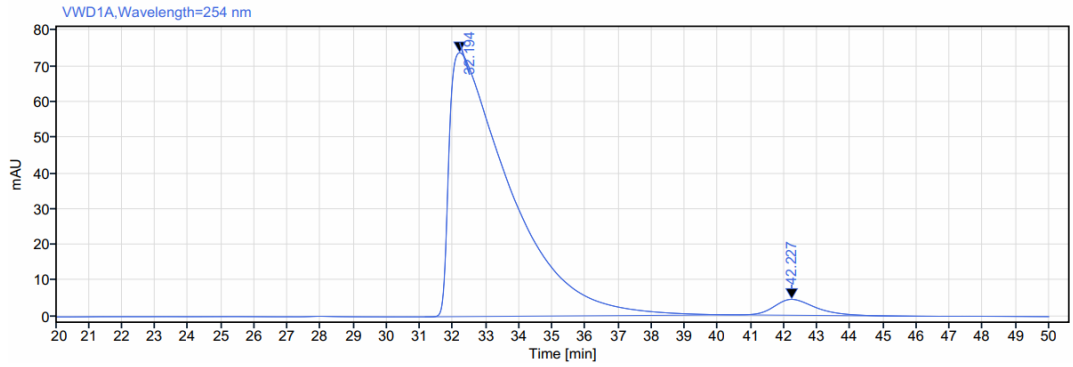


Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
34.282	MM m	6.82	1435.59	13.66	50.74	
42.518	MM m	8.17	1393.72	15.67	49.26	
		Sum	**2829.31**			

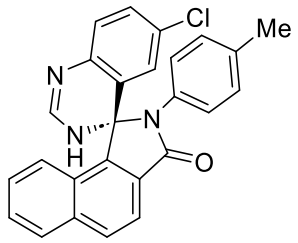


Signal: VWD1A,Wavelength=254 nm

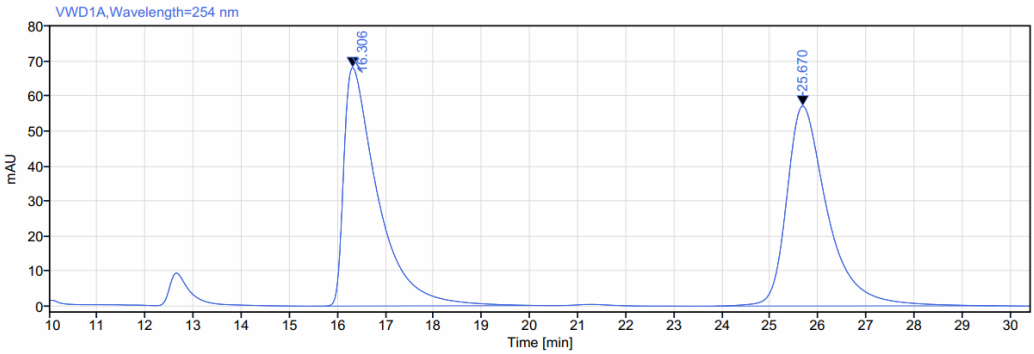
RT [min]	Type	Width [min]	Area	Height	Area%	Name
32.194	MM m	9.37	9408.56	73.92	96.09	
42.227	MM m	6.06	382.73	4.45	3.91	
		Sum	**9791.29**			

HPLC (Chiralpak IA-3): t_R = 42.23 (major), 32.19 (minor)

Condition: 85:15, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

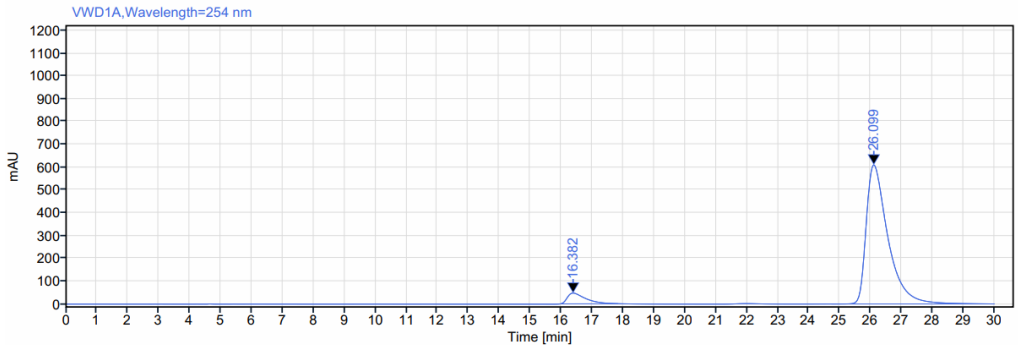


5ga



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.306	MM m	4.76	3346.60	68.26	49.80	
25.670	MM m	5.84	3373.11	57.23	50.20	
		Sum	**6719.72**			

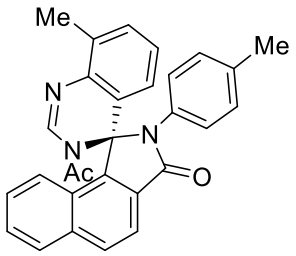


Signal: VWD1A,Wavelength=254 nm

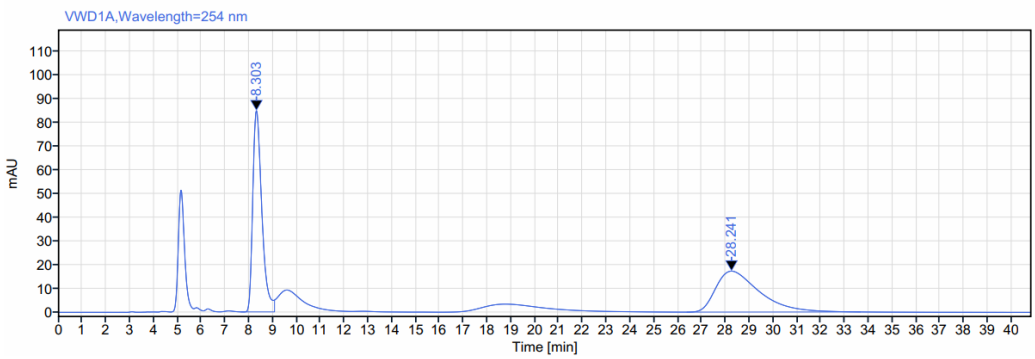
RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.382	MM m	1.87	1915.80	47.24	6.05	
26.099	MM m	5.26	29739.88	610.19	93.95	
		Sum	**31655.68**			

HPLC (Chiralpak IA-3): t_R = 26.10 (major), 16.38 (minor)

Condition: 80:20, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

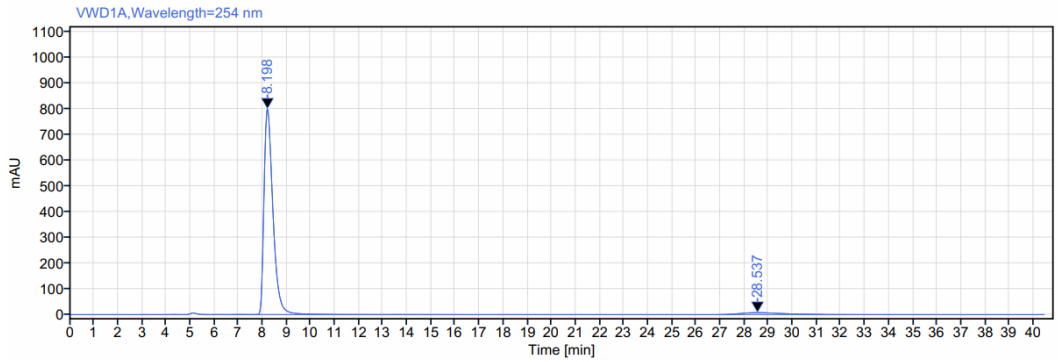


5ha



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.303	MM m	1.41	2244.87	84.77	50.58	
28.241	MM m	7.30	2192.95	17.25	49.42	
		Sum	**4437.82**			

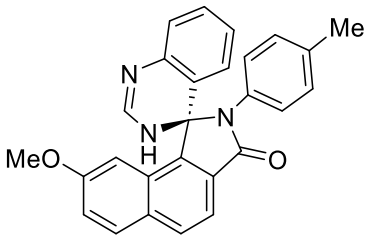


Signal: VWD1A,Wavelength=254 nm

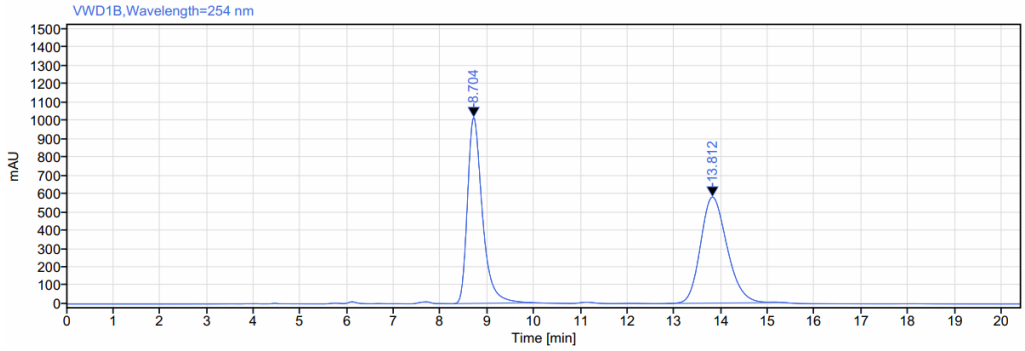
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.198	MM m	9.54	20723.14	798.74	95.93	
28.537	MM m	4.29	879.06	7.56	4.07	
		Sum	**21602.20**			

HPLC (Chiralpak OD-3): t_R = 8.20 (major), 28.54 (minor)

Condition: 70:30, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

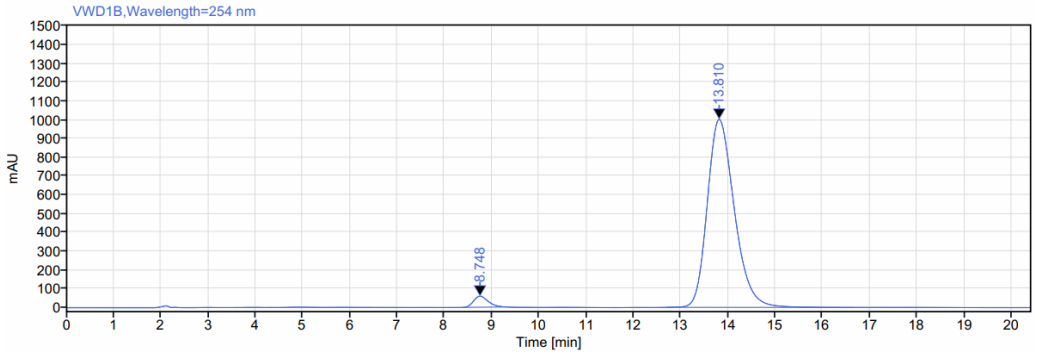


5ja



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.704	MM m	1.79	22419.01	1015.21	49.56	
13.812	MM m	2.49	22820.53	579.19	50.44	
		Sum	**45239.54**			

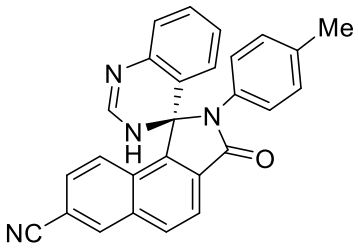


Signal: VWD1B,Wavelength=254 nm

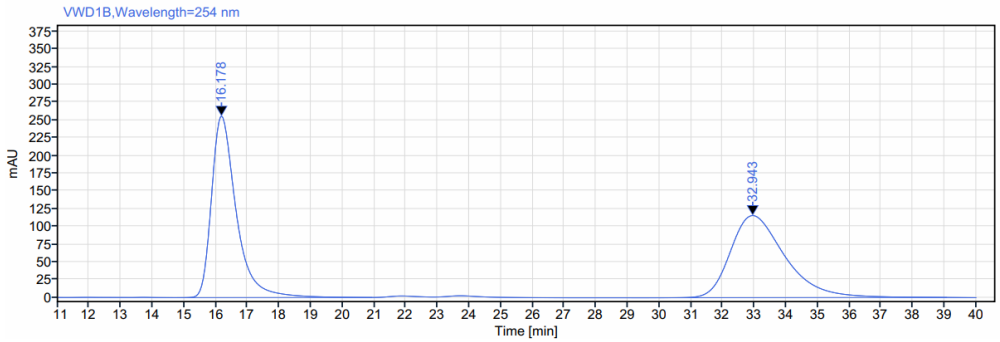
RT [min]	Type	Width [min]	Area	Height	Area%	Name
8.748	MM m	0.91	1263.83	59.03	3.08	
13.810	MM m	3.95	39834.75	1002.40	96.92	
		Sum	**41098.58**			

HPLC (Chiralpak IC-3): t_R = 13.81 (major), 8.75 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.8 mL/min, 25 ºC, 254 nm.

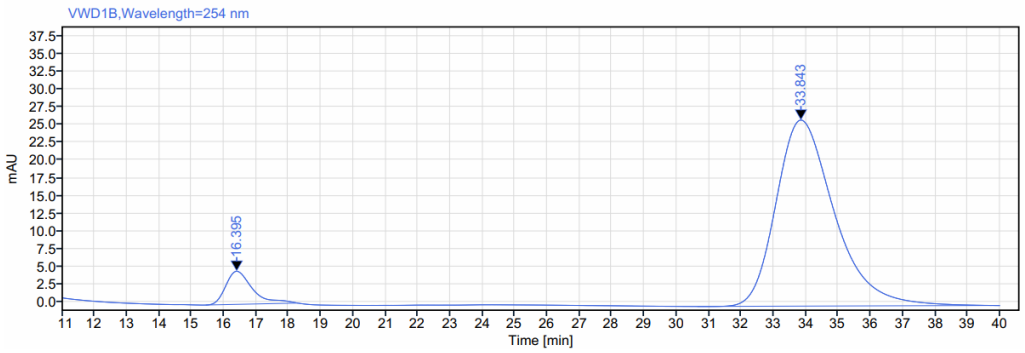


5ka



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.178	MM m	6.15	13876.79	255.68	50.05	
32.943	MM m	9.09	13849.27	115.72	49.95	
		Sum	**27726.07**			

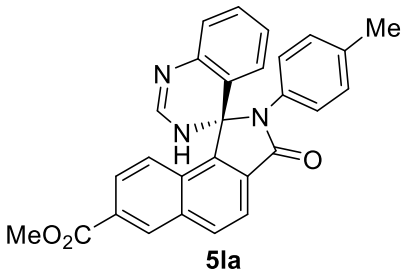


Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.395	MM m	2.84	265.78	4.65	7.51	
33.843	MM m	9.09	3270.89	26.12	92.49	
		Sum	**3536.67**			

HPLC (Chiralpak IC-3): t_R = 33.84 (major), 16.40 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.8 mL/min, 25 ºC, 254 nm.



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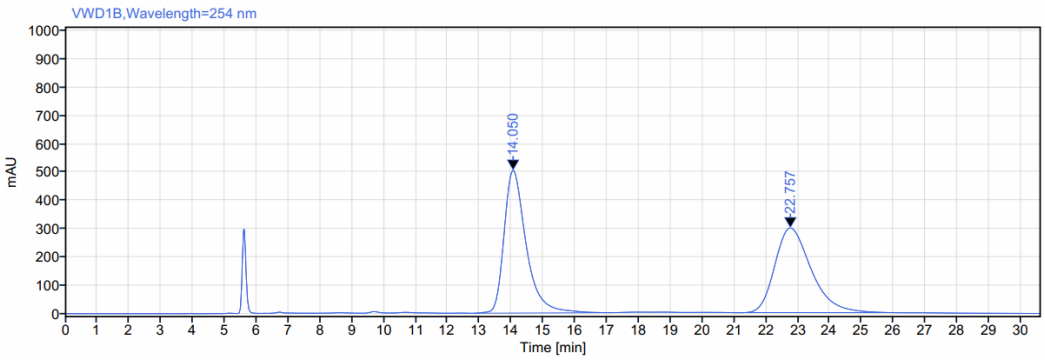
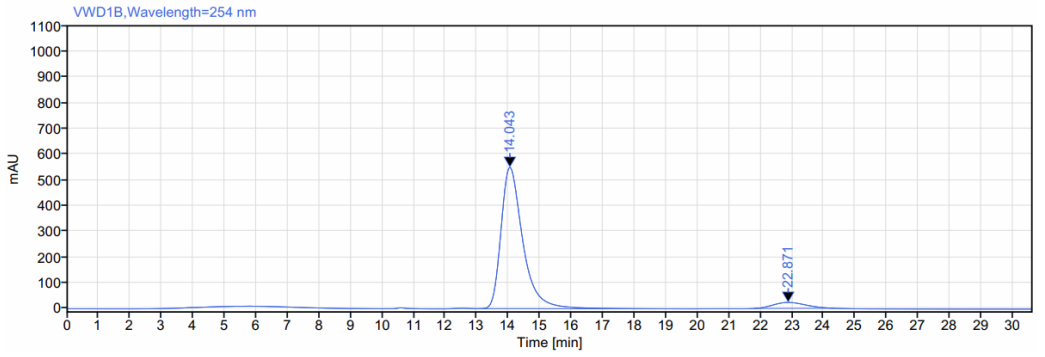


Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
14.050	MM m	4.31	24327.66	505.45	50.62	
22.757	MM m	4.57	23727.02	299.67	49.38	
		Sum	**48054.68**			

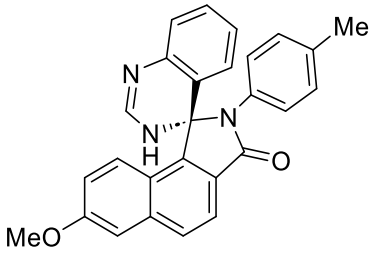


Signal: VWD1B,Wavelength=254 nm

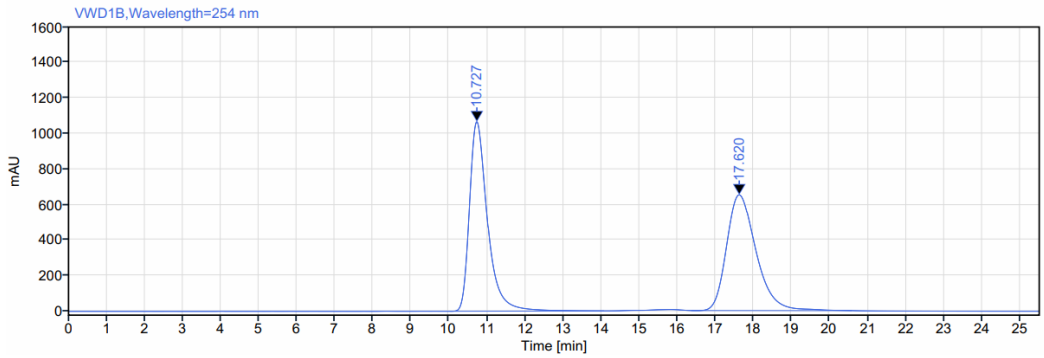
RT [min]	Type	Width [min]	Area	Height	Area%	Name
14.043	MM m	6.96	26486.97	549.87	94.01	
22.871	MM m	2.54	1686.83	23.34	5.99	
		Sum	**28173.80**			

HPLC (Chiralpak IC-3): t_R = 14.04 (major), 22.87 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.8 mL/min, 25 ºC, 254 nm.

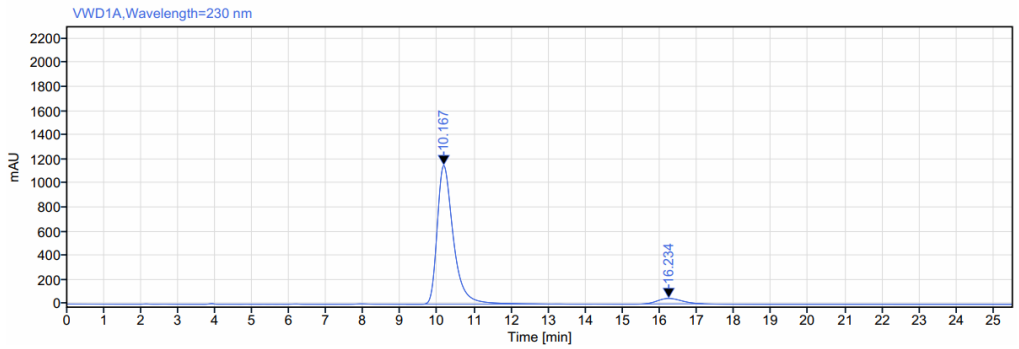


5ma



Signal: VWD1B,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.727	BB	4.04	35093.60	1067.09	50.09	
17.620	MM m	4.22	34968.38	651.71	49.91	
		Sum	**70061.98**			

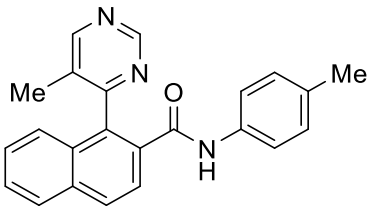


Signal: VWD1A,Wavelength=230 nm

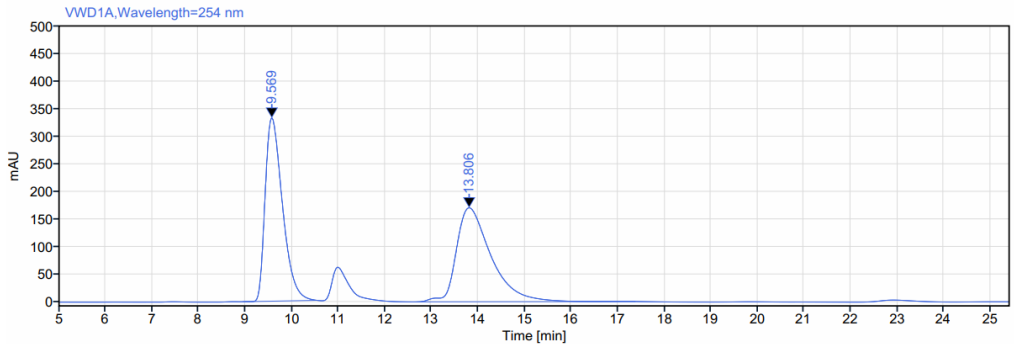
RT [min]	Type	Width [min]	Area	Height	Area%	Name
10.167	MM m	5.02	35028.79	1149.27	94.46	
16.234	MM m	1.65	2053.93	45.55	5.54	
		Sum	**37082.72**			

HPLC (Chiralpak IC-3): t_R = 10.17 (major), 16.23 (minor)

Condition: 50:50, *n*-Hexane:*i*-PrOH, flow rate 0.8 mL/min, 25 ºC, 254 nm.

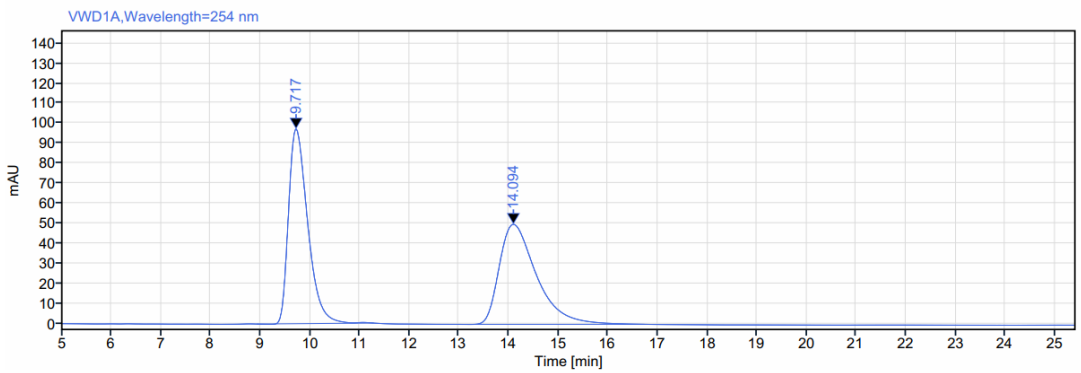


5pa



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.569	MM m	1.59	8571.77	331.99	49.37	
13.806	MM m	4.70	8792.26	170.38	50.63	
		Sum	**17364.03**			

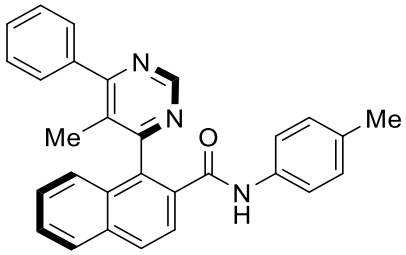


Signal: VWD1A,Wavelength=254 nm

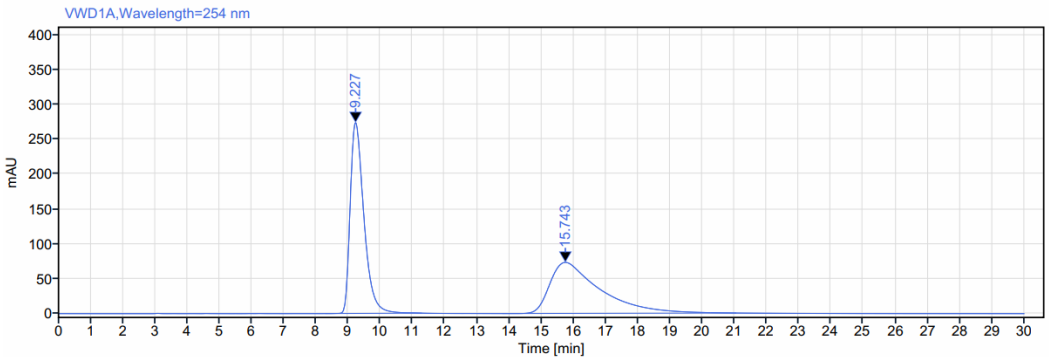
RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.717	MM m	2.35	2605.27	97.29	50.07	
14.094	MM m	3.79	2597.56	49.99	49.93	
		Sum	**5202.83**			

HPLC (Chiralpak OD-3): t_R = 9.72 (major), 14.01 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

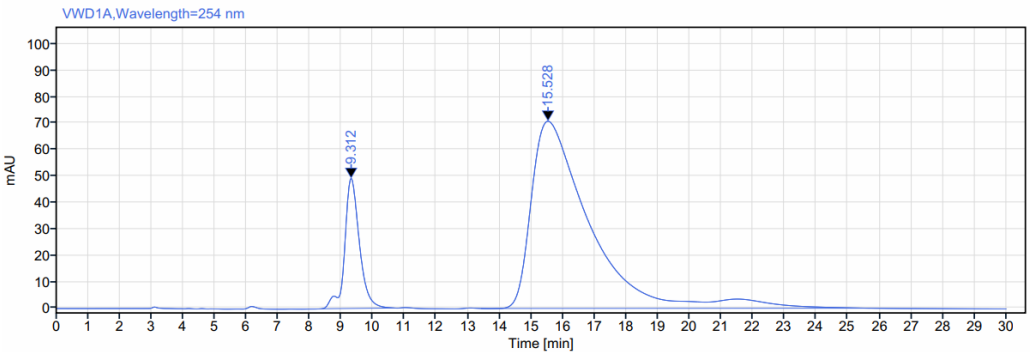


5qa



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.227	MM m	3.00	8130.41	274.25	50.70	
15.743	MM m	7.26	7904.74	73.36	49.30	
		Sum	**16035.15**			

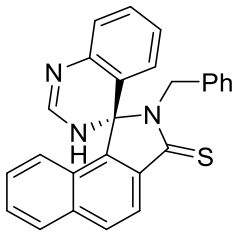


Signal: VWD1A,Wavelength=254 nm

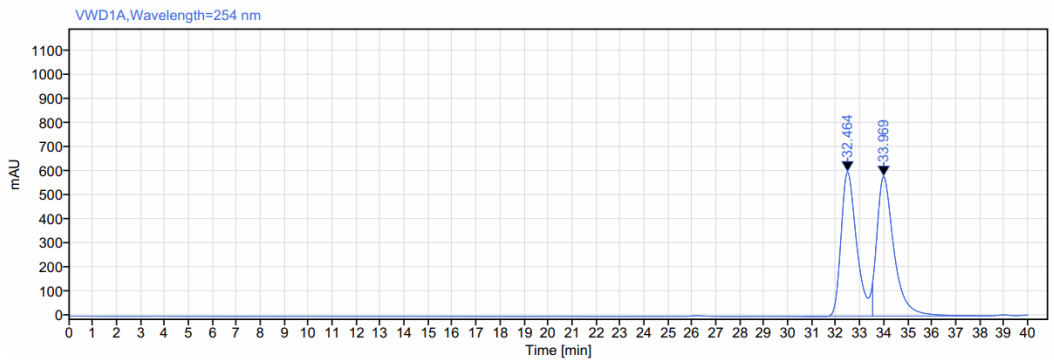
RT [min]	Type	Width [min]	Area	Height	Area%	Name
9.312	MM m	2.28	1592.11	49.21	14.82	
15.528	MM m	12.27	9149.10	70.87	85.18	
		Sum	**10741.20**			

HPLC (Chiralpak OD-3): t_R = 9.31 (major), 15.53 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.

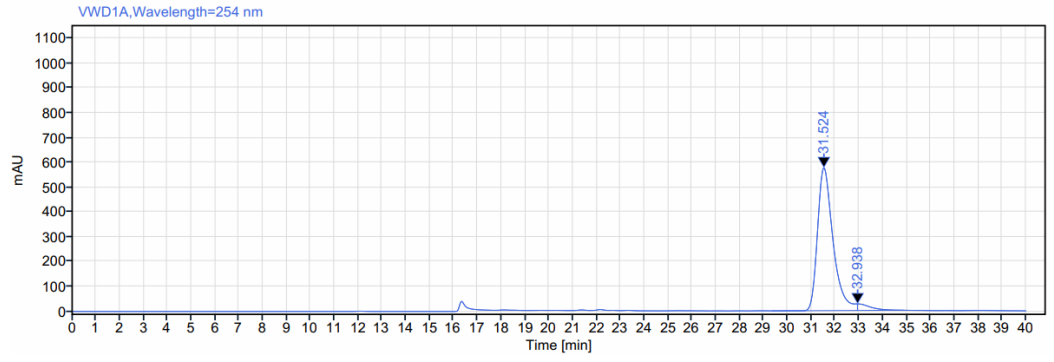


7



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
32.464	MM m	2.84	27241.46	596.95	48.90	
33.969	MM m	4.93	28467.89	577.68	51.10	
		Sum	**55709.35**			

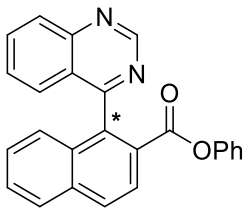


Signal: VWD1A,Wavelength=254 nm

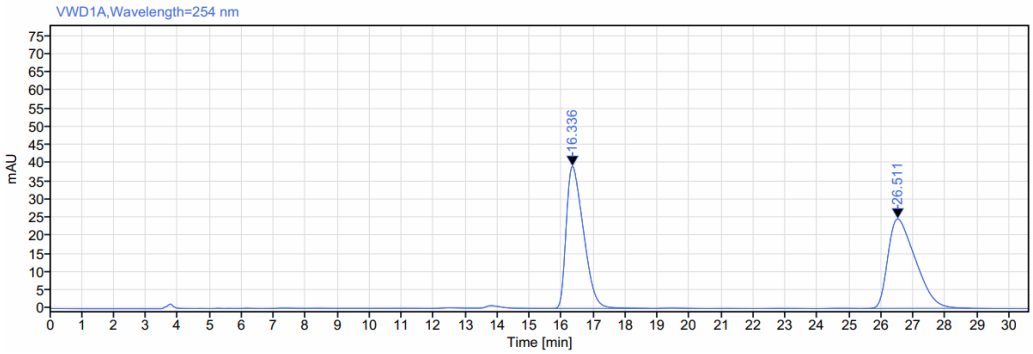
RT [min]	Type	Width [min]	Area	Height	Area%	Name
31.524	MM m	3.58	25981.19	575.82	96.31	
32.938	MM m	1.93	996.03	26.35	3.69	
		Sum	**26977.22**			

HPLC (Chiralpak IC-3): t_R = 31.53 (major), 32.94 (minor)

Condition: 60:40, *n*-Hexane:*i*-PrOH, flow rate 0.2 mL/min, 25 ºC, 254 nm.

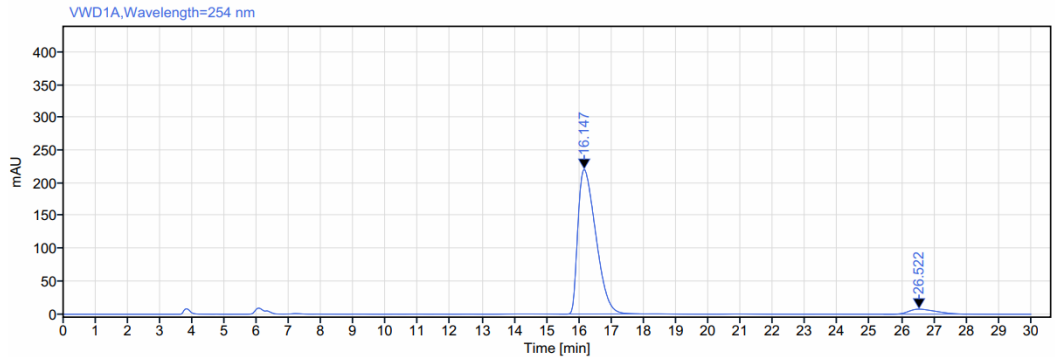


12



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.336	MM m	3.57	1463.95	39.07	49.87	
26.511	MM m	3.42	1471.57	24.63	50.13	
		Sum	**2935.51**			



Signal: VWD1A,Wavelength=254 nm

RT [min]	Type	Width [min]	Area	Height	Area%	Name
16.147	MM m	2.48	8511.76	220.69	95.07	
26.522	MM m	2.59	441.49	7.64	4.93	
		Sum	**8953.25**			

HPLC (Chiralpak OD-3): t_R = 16.15 (major), 26.55 (minor)

Condition: 90:10, *n*-Hexane:*i*-PrOH, flow rate 1.0 mL/min, 25 ºC, 254 nm.