Supporting Information

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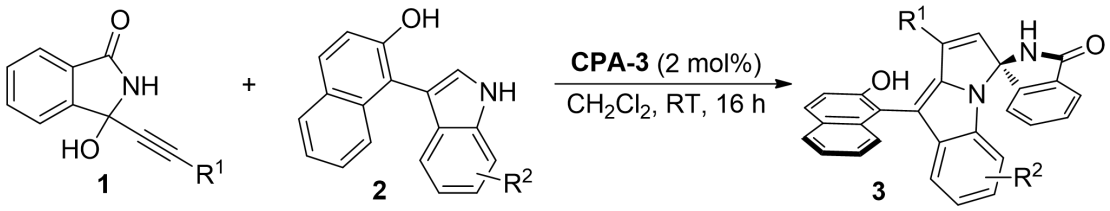
A: General Information and Starting Materials

General Information. Proton nuclear magnetic resonance (^{1}H NMR) spectra and carbon nuclear magnetic resonance (^{13}C NMR) spectra were recorded on a Bruker ACF300 spectrometer (500 MHz and 126 MHz). Chemical shifts for protons are reported in parts per million downfield from tetramethylsilane and are referenced to residual protium in the NMR solvent (DMSO-*d6*: δ 2.50). Chemical shifts for carbon are reported in parts per million downfield from tetramethylsilane and are referenced to the carbon resonances of the solvent (DMSO- *d6*: δ 39.50). Data are represented as follows: chemical shift, integration, multiplicity (br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants in Hertz (Hz). All high resolution mass spectra were obtained on a Finnigan/MAT 95XL-T mass spectrometer. Optical Rotation was measured on a Rudolph Autopol I polarimeter.For thin layer chromatography (TLC), Merck pre-coated TLC plates (Merck 60 F254) were used, and compounds were visualized with a UV light at 254 nm. Flash chromatography separations were performed on Merck 60 (0.040-0.063 mm) mesh silica gel.

Starting Materials. All solvents, inorganic reagents were from commercial sources and used without purification unless otherwise noted. Propargylic alcohols and 3-naphtholindole was prepared following the literature procedures.[1-2]

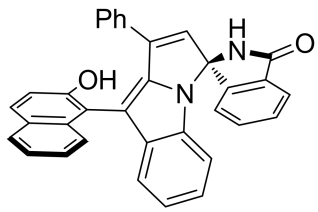
B: General Procedure



To a solution of CH_2Cl_2 (0.3 mL) were added propargylic alcohols **1** (0.05 mmol), 3-naphtholindole **2** (0.06 mmol) and **CPA-3** (0.001 mmol). The reaction mixture was stirred at room temperature for 16 h and then the solvent was removed under vacuum. The residue was purified by silica gel chromatography to yield the desired product **3**.

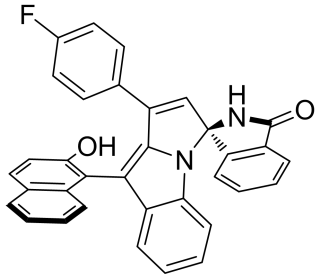
C: Characterization Data

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3aa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 22.5 mg, 92% yield. Mp 68.8-70.5 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.51 (s, 1H), 9.30 (s, 1H), 7.96 (d, *J* = 5.0 Hz, 1H), 7.85-7.84 (m, 2H), 7.71-7.68 (m, 2H), 7.50-7.48 (m, 1H), 7.31-7.24 (m, 5H), 7.16 (d, *J* = 5.0 Hz, 1H), 7.12 (t, *J* = 5.0 Hz, 1H), 7.01-6.96 (m, 2H), 6.93-6.90 (m, 3H), 6.79 (s, 1H), 6.52 (d, *J* = 5.0 Hz, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 169.1, 154.2, 144.6, 141.6, 140.0, 134.9, 134.4, 133.8, 133.5, 132.9, 132.7, 132.0, 130.8, 129.6, 128.9, 128.4, 128.3, 128.1, 127.3, 126.5, 125.0, 123.8, 123.5, 123.1, 122.9, 121.5, 120.0, 118.7, 113.0, 108.9, 104.5, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{23}N_2O_2$ 491.1754; Found 491.1752. The enantiomeric excess was determined to be 95% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 14.6 min (major), 20.2 min (minor). $[\alpha]^{22}_D$ = +574.4 (c = 1.00, CH_2Cl_2).

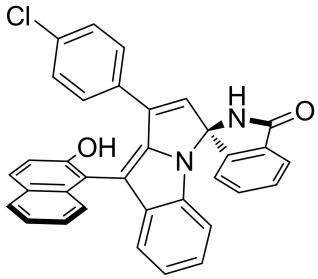
(*R*)-1'-(4-fluorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ba)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 23.0 mg, 92% yield. Mp 175.5-177.9 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.50 (s, 1H), 9.31 (s, 1H), 7.96 (d, *J* = 10.0 Hz, 1H), 7.86-7.85 (m, 2H), 7.70-7.67 (m, 2H), 7.50-7.48 (m, 1H), 7.30-7.24 (m, 5H), 7.19 (d, *J* = 10.0 Hz, 1H), 7.00-6.98 (m, 2H), 6.92-6.91 (m, 1H), 6.78 (s, 1H), 6.73 (t, *J* = 10.0 Hz, 2H), 6.53 (d, *J* = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 169.1, 162.3 (d, *J* = 247.0 Hz), 154.2, 144.5, 141.5, 138.9, 134.8, 134.3, 133.9, 133.5, 132.9, 132.6, 130.9, 129.7, 129.4 (d, *J* = 8.8 Hz), 128.6 (d, *J* = 2.5 Hz), 128.4, 126.5, 125.0, 123.9, 123.5, 123.1, 123.0, 121.6, 120.0, 118.7, 114.9 (d, *J* = 22.7 Hz), 112.8, 108.9, 104.4, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}FN_2O_2$ 509.1660; Found 509.1659. The enantiomeric excess was determined to be 95% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 9.8 min (major), 11.1 min (minor). $[\alpha]^{22}_D$ = +419.5 (c = 1.00, CH_2Cl_2).

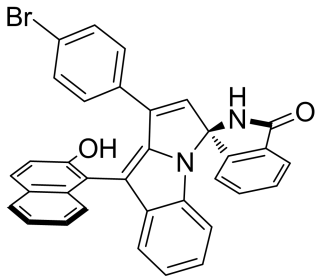
(*R*)-1'-(4-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-p

yrrolo[1,2-a]indol]-3-one (3ca)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 23.2 mg, 96% yield. Mp 192.0-195.2 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.51 (s, 1H), 9.33 (s, 1H), 7.96 (d, *J* = 5.0 Hz, 1H), 7.88-7.86 (m, 2H), 7.71-7.67 (m, 2H), 7.50-7.48 (m, 1H), 7.29-7.27 (m, 3H), 7.24-7.18 (m, 3H), 7.01-6.95 (m, 4H), 6.92-6.91 (m, 1H), 6.85 (s, 1H), 6.53 (d, *J* = 5.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.2, 144.4, 141.3, 138.8, 134.7, 134.3, 133.9, 133.7, 133.6, 133.4, 132.6, 131.0, 130.9, 129.8, 129.0, 128.4, 128.1, 126.6, 125.0, 123.9, 123.5, 123.2, 123.0, 121.6, 120.1, 118.7, 112.8, 109.0, 104.5, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}ClN_2O_2$ 525.1364; Found 525.1362. The enantiomeric excess was determined to be 96% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 9.2 min (major), 10.6 min (minor). $[\alpha]^{22}_D$ = +466.4 (c = 1.00, CH_2Cl_2).

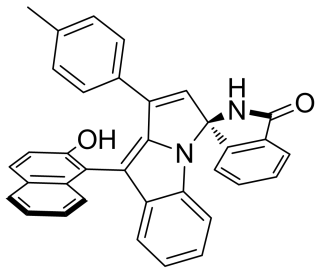
(*R*)-1'-(4-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3da)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 22.6 mg, 80% yield. Mp 205.0-207.5 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.51 (s, 1H), 9.34 (s, 1H), 7.96 (d, *J* = 5.0 Hz, 1H), 7.89-7.87 (m, 2H), 7.71-7.68 (m, 2H), 7.50-7.48 (m, 1H), 7.30-7.28 (m, 3H), 7.20-7.18 (m, 3H), 7.11-7.09 (m, 2H), 7.02-6.98 (m, 2H), 6.93-6.92 (m, 1H), 6.87 (s, 1H), 6.53 (d, *J* = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.2, 144.4, 141.2, 138.8, 134.7, 134.3, 133.8, 133.7, 133.6, 132.6, 131.3, 131.0, 130.9, 129.8, 129.3, 128.4, 126.6, 125.0, 123.9, 123.4, 123.3, 123.2, 123.0, 122.1, 121.6, 120.1, 118.7, 112.8, 108.9, 104.5, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}BrN_2O_2$ 569.0859; Found 569.0857. The enantiomeric excess was determined to be 96% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 9.3 min (major), 10.6 min (minor). $[\alpha]^{22}_D$ = +337.7 (c = 1.00, CH_2Cl_2).

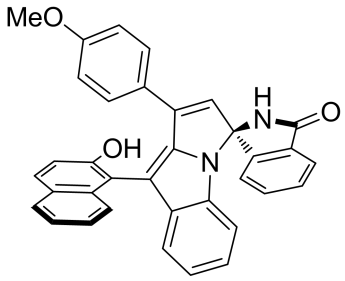
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(*p*-tolyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ea)

Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White



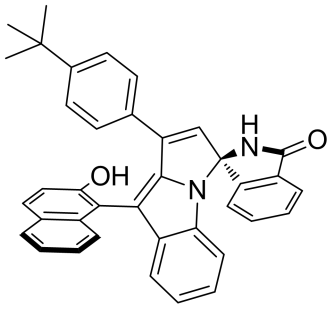
solid, 23.0 mg, 91% yield. Mp 172.0-175.3 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.50 (s, 1H), 9.29 (s, 1H), 7.96 (d, *J* = 10.0 Hz, 1H), 7.88-7.86 (m, 2H), 7.70-7.66 (m, 2H), 7.50-7.48 (m, 1H), 7.29-7.27 (m, 3H), 7.22-7.16 (m, 3H), 7.01-6.87 (m, 3H), 6.75-6.72 (m, 3H), 6.52 (d, *J* = 5.0 Hz, 1H), 2.14 (s, 3H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.3, 144.7, 141.8, 139.8, 138.4, 134.9, 134.4, 133.8, 133.5, 132.7, 132.2, 130.8, 129.6, 129.2, 128.7, 128.5, 128.4, 127.3, 126.5, 125.1, 123.8, 123.5, 123.0, 122.9, 121.4, 119.9, 118.8, 113.2, 108.8, 104.4, 79.9, 21.2. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{25}N_2O_2$ 505.1911; Found 505.1908. The enantiomeric excess was determined to be 95% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 9.8 min (major), 11.4 min (minor). $[\alpha]^{22}_D$ = +392.6 (c = 1.00, CH_2Cl_2).

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(4-methoxyphenyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3fa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 6:1. White solid, 21.5 mg, 83% yield. Mp 180.1-182.8 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.47 (s, 1H), 9.28 (s, 1H), 7.94 (d, *J* = 5.0 Hz, 1H), 7.87-7.85 (m, 2H), 7.69-7.65 (m, 2H), 7.49-7.47 (m, 1H), 7.29-7.26 (m, 3H), 7.21-7.18 (m, 3H), 6.99-6.94 (m, 2H), 6.90-6.88 (m, 1H), 6.68 (s, 1H), 6.51 (d, *J* = 10.0 Hz, 1H), 6.44 (d, *J* = 5.0 Hz, 2H), 3.62 (s, 3H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 159.7, 154.2, 144.8, 141.9, 139.4, 134.9, 134.4, 133.7, 133.5, 132.6, 131.1, 130.8, 129.6, 128.7, 128.5, 128.4, 126.5, 125.1, 124.5, 123.8, 123.4, 123.0, 122.9, 121.4, 119.9, 118.8, 113.5, 113.1, 108.8, 104.3, 79.9, 55.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{25}N_2O_3$ 521.1860; Found 521.1857. The enantiomeric excess was determined to be 96% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 16.0 min (major), 17.5 min (minor). $[\alpha]^{22}_D$ = +485.1 (c = 1.00, CH_2Cl_2).

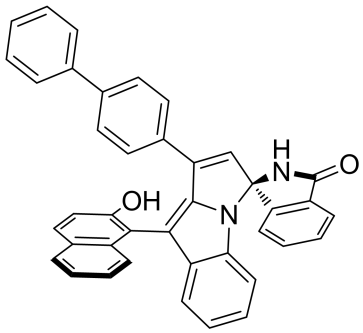
(*R*)-1'-(4-(*tert*-butyl)phenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ga)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 25.5 mg, 93% yield. Mp 175.1-178.6 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.51 (s, 1H), 9.29 (s, 1H), 7.95 (d, *J* = 5 Hz, 1H), 7.86-7.84 (m, 2H), 7.70-7.67 (m, 2H), 7.49-7.47 (m, 1H),

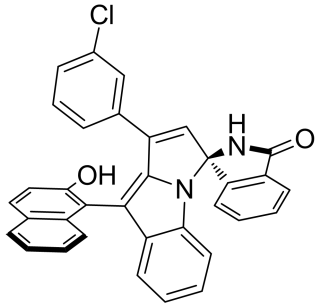
7.29-7.24 (m, 3H), 7.18-7.15 (m, 3H), 7.00-6.97 (m, 2H), 6.90-6.88 (m, 3H), 6.74 (s, 1H), 6.53 (d, J = 10.0 Hz, 1H), 1.14 (s, 9H).^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.2, 151.3, 144.7, 141.8, 139.8, 134.9, 134.4, 133.8, 133.5, 132.6, 132.1, 130.8, 129.5, 129.2, 128.4, 128.3, 127.1, 126.4, 125.1, 124.7, 123.8, 123.4, 123.0, 122.8, 121.5, 119.9, 118.7, 113.1, 108.8, 104.5, 80.0, 34.6, 31.3. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{38}H_{31}N_2O_2$ 547.2380; Found 547.2379. The enantiomeric excess was determined to be 95% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 7.8 min (major), 9.2 min (minor). $[\alpha]^{22}_D$ = +541.8 (c = 1.00, CH_2Cl_2).

(*R*)-1'-([1,1'-biphenyl]-4-yl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ha)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 23.4 mg, 83% yield. Mp 180.2-183.3 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.54 (s, 1H), 9.34 (s, 1H), 7.98 (d, J = 5.0 Hz, 1H), 7.88-7.87 (m, 2H), 7.71-7.67 (m, 2H), 7.54-7.51 (m, 3H), 7.44-7.41 (m, 2H), 7.36-7.27 (m, 6H), 7.21-7.18 (m, 3H), 7.01-6.98 (m, 2H), 6.92-6.91 (m, 1H), 6.87 (s, 1H), 6.55 (d, J = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.3, 144.6, 141.7, 140.4, 139.7, 139.5, 134.9, 134.4, 133.8, 133.6, 133.0, 132.7, 131.2, 130.8, 129.7, 129.4, 128.5, 128.4, 128.1, 127.9, 126.9, 126.6, 126.2, 125.1, 123.9, 123.4, 123.1, 123.0, 121.6, 120.0, 118.7, 113.1, 108.9, 104.5, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{40}H_{27}N_2O_2$ 567.2067; Found 567.2067. The enantiomeric excess was determined to be 97% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 11.5 min (major), 14.2 min (minor). $[\alpha]^{22}_D$ = +185.2 (c = 1.00, CH_2Cl_2).

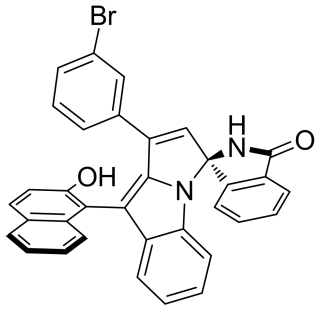
(*R*)-1'-(3-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ia)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 22.4 mg, 85% yield. Mp 169.2-171.2 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.51 (s, 1H), 9.35 (s, 1H), 7.97 (d, J = 5.0 Hz, 1H), 7.87-7.85 (m, 2H), 7.71-7.67 (m, 2H), 7.49-7.47 (m, 1H), 7.31-7.25 (m, 4H), 7.22-7.19 (m, 3H), 7.03-6.99 (m, 3H), 6.93-6.90 (m, 2H), 6.53 (d, J = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.2, 144.3, 141.1, 138.5, 134.8, 134.3, 134.2,

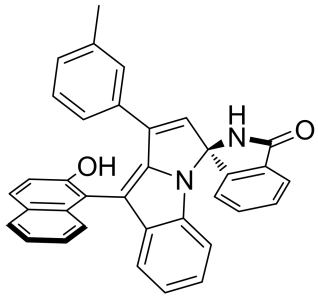
133.9, 133.8, 133.6, 133.1, 132.6, 130.9, 130.0, 129.9, 128.7, 128.6, 128.5, 127.4, 126.6, 125.8, 124.9, 123.9, 123.5, 123.2, 123.0, 121.6, 120.1, 118.7, 112.7, 108.9, 104.6, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}ClN_2O_2$ 525.1364; Found 525.1366. The enantiomeric excess was determined to be 97% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 9.8 min (major), 10.7 min (minor). $[\alpha]^{22}_D$ = +349.7 (c = 1.00, CH_2Cl_2).

(*R*)-1'-(3-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ja)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 23.8 mg, 85% yield. Mp 167.5-170.7 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.52 (s, 1H), 9.36 (s, 1H), 7.97 (d, *J* = 10.0 Hz, 1H), 7.87-7.85 (m, 2H), 7.72-7.65 (m, 2H), 7.49-7.47 (m, 1H), 7.38-7.37 (m, 1H), 7.32-7.26 (m, 5H), 7.21 (d, *J* = 5.0 Hz, 1H), 7.02-6.89 (m, 5H), 6.53 (d, *J* = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 171.3, 156.3, 146.5, 143.3, 140.7, 136.9, 136.5, 136.4, 136.3, 136.0, 135.8, 134.8, 133.8, 133.1, 132.4, 132.1, 130.8, 130.7, 128.8, 128.3, 127.0, 126.1, 125.7, 125.4, 125.1, 123.8, 123.7, 122.3, 120.9, 114.8, 111.1, 106.8, 82.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}BrN_2O_2$ 569.0859; Found 569.0854. The enantiomeric excess was determined to be 94% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 23.0 min (major), 28.0 min (minor). $[\alpha]^{22}_D$ = +415.0 (c = 1.00, CH_2Cl_2).

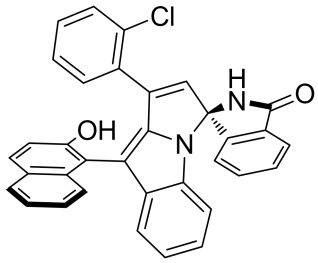
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-*(m*-tolyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ka)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 20.4mg, 96% yield. Mp 168.2-170.8 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.50 (s, 1H), 9.29 (s, 1H), 7.96 (d, *J* = 5.0 Hz, 1H), 7.88-7.85 (m, 2H), 7.71-7.65 (m, 2H), 7.50-7.48 (m, 1H), 7.29-7.27 (m, 3H), 7.21-7.19 (m, 2H), 7.00-6.90 (m, 6H), 6.77 (s, 1H), 6.53 (d, *J* = 5.0 Hz, 1H), 1.68 (s, 3H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 169.1, 154.3, 144.6, 141.7, 139.9, 137.1, 135.0, 134.5, 133.8, 133.5, 132.6, 132.5, 131.7, 130.8, 129.6, 129.5, 128.5, 128.4, 128.3, 128.2, 126.6, 125.0, 124.3, 123.8, 123.5, 123.1, 122.9, 121.4, 112.0, 118.8, 113.3, 108.9, 104.5, 80.0, 20.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{25}N_2O_2$ 505.1911;

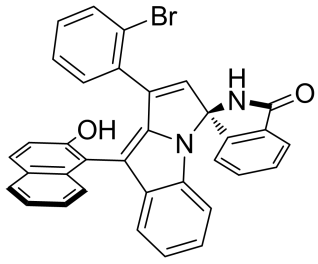
Found 505.1911. The enantiomeric excess was determined to be 96% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 10.1 min (major), 11.2 min (minor). $[\alpha]^{22}_{D}$ = +548.7 (c = 1.00, CH_2Cl_2).

(*R*)-1'-(2-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3la)



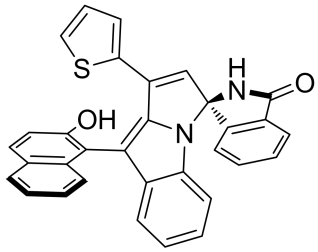
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 20.0 mg, 77% yield. Mp 165.5-168.0 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.50 (s, 1H), 9.17 (s, 1H), 7.98-7.96 (m, 1H), 7.73-7.66 (m, 4H), 7.51 (d, *J* = 5.0 Hz, 1H), 7.36-7.34 (m, 1H), 7.26-7.18 (m, 3H), 7.06-7.02 (m, 5H), 6.94-6.91 (m, 1H), 6.70-6.66 (m, 2H), 6.58 (d, *J* = 5.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.2, 153.9, 144.4, 142.3, 136.5, 136.0, 134.6, 134.0, 133.9, 133.6, 132.6, 132.3, 130.9, 130.8, 130.5, 123.0, 129.4, 129.2, 128.1, 128.0, 126.1, 126.0, 125.0, 123.9, 123.3, 122.9, 122.6, 121.6, 120.0, 118.4, 112.0, 108.9, 104.2, 80.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}ClN_2O_2$ 525.1364; Found 525.1364. The enantiomeric excess was determined to be 97% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 15.5 min (major), 18.5 min (minor). $[\alpha]^{22}_{D}$ = +212.1 (c = 1.00, CH_2Cl_2).

(*R*)-1'-(2-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ma)



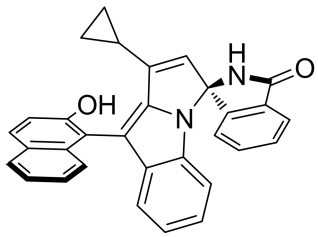
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 25.5 mg, 91% yield. Mp 158.0-161.3 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.58 (s, 1H), 9.16 (s, 1H), 7.96-7.94 (m, 1H), 7.71-7.69 (m, 3H), 7.84 (d, *J* = 10.0 Hz, 1H), 7.50 (d, *J* = 10.0 Hz, 1H), 7.39-7.33 (m, 2H), 7.26-7.18 (m, 2H), 7.03-6.90 (m, 6H), 6.72 (t, *J* = 10.0 Hz, 1H), 6.61 (s, 1H), 6.56 (d, *J* = 5.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.2, 153.9, 144.4, 142.2, 138.2, 135.8, 134.6, 134.0, 133.8, 133.6, 132.9, 132.5, 130.9, 130.5, 130.0, 129.1, 128.1, 126.5, 126.0, 125.1, 123.9, 123.4, 122.9, 122.6, 122.4, 121.7, 119.9, 118.4, 111.9, 108.9, 104.2, 80.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}BrN_2O_2$ 569.0859; Found 569.0853. The enantiomeric excess was determined to be 95% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 23.2 min (major), 28.7 min (minor). $[\alpha]^{22}_{D}$ = +67.1 (c = 1.00, CH_2Cl_2).

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(thiophen-2-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3na)



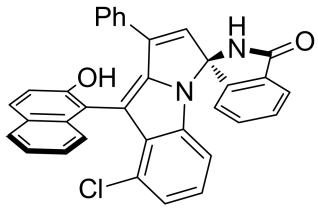
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 21.0 mg, 85% yield. Mp 195.0-198.9 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.47 (s, 1H), 9.38 (s, 1H), 7.96-7.88 (m, 3H), 7.70-7.66 (m, 2H), 7.47-7.45 (m, 1H), 7.36-7.35 (m, 1H), 7.31-7.27 (m, 4H), 7.00-6.99 (m, 1H), 6.95-6.93 (m, 1H), 6.90-6.87 (m, 1H), 6.79 (s, 1H), 6.68-6.65 (m, 2H), 6.50 (d, *J* = 5.0 Hz, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 169.0, 154.6, 144.4, 140.8, 135.3, 134.3, 134.2, 133.6, 133.5, 133.0, 132.6, 131.3, 130.9, 130.0, 128.4, 127.7, 127.6, 127.4, 126.6, 125.1, 123.9, 123.5, 123.3, 123.0, 121.5, 120.0, 118.9, 112.9, 108.9, 104.5, 79.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{32}H_{21}N_2O_2S$ 497.1318; Found 497.1316. The enantiomeric excess was determined to be 95% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 12.0 min (major), 13.3 min (minor). $[\alpha]^{22}_D$ = +61.1 (c = 1.00, CH_2Cl_2).

(*R*)-1'-cyclopropyl-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3oa)



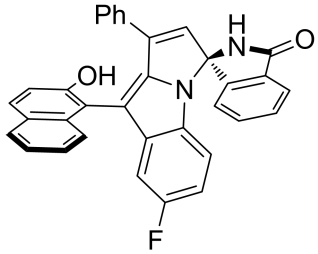
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 18.9 mg, 83% yield. Mp 185.5-188.0 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 11.87 (s, 1H), 9.79 (s, 1H), 8.43 (d, *J* = 10.0 Hz, 1H), 7.97 (d, *J* = 10.0 Hz, 1H), 7.90 (d, *J* = 5.0 Hz, 1H), 7.83 (d, *J* = 10.0 Hz, 1H), 7.76-7.54 (m, 7H), 7.45-7.42 (m, 1H), 7.27 (t, *J* = 10.0 Hz, 1H), 7.20 (t, *J* = 10.0 Hz, 1H), 6.17 (s, 1H), 1.77-1.71 (m, 1H), 0.76-0.73 (m, 1H), 0.62-0.57 (m, 2H), 0.45-0.43 (m, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 168.2, 148.9, 138.1, 137.9, 135.8, 134.6, 132.8, 130.6, 130.1, 129.0, 128.8, 128.7, 126.7, 126.3, 125.7, 124.2, 123.9, 123.1, 122.1, 121.7, 121.2, 120.5, 119.9, 117.4, 113.1, 105.5, 104.5, 80.1, 18.6, 2.6, 1.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{31}H_{23}N_2O_2$ 455.1754; Found 455.1754. The enantiomeric excess was determined to be 0% by HPLC. [ID column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min] : 11.1 min (major), 19.0 min (minor). $[\alpha]^{22}_D$ = -5.1 (c = 1.00, CH_2Cl_2).

(*R*)-8'-chloro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ab)



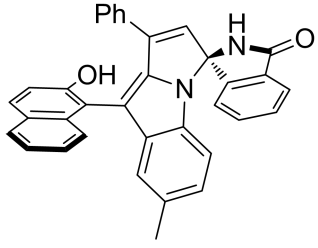
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 22.6 mg, 86% yield. Mp 164.0-166.9 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.49 (s, 1H), 9.24 (s, 1H), 7.91 (d, *J* = 5.0 Hz, 1H), 7.73-7.71 (m, 2H), 7.66-7.62 (m, 2H), 7.34-7.32 (m, 1H), 7.26-7.25 (m, 1H), 7.23-7.20 (m, 1H), 7.18-7.15 (m, 1H), 7.06-7.00 (m, 4H), 6.93 (t, *J* = 5.0 Hz, 1H), 6.86 (d, *J* = 5.0 Hz, 1H), 6.79 (d, *J* = 10.0 Hz, 2H), 6.71 (s, 1H), 6.40 (d, *J* = 5.0 Hz, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.7, 144.1, 142.7, 139.9, 136.4, 135.2, 134.1, 133.7, 132.6, 131.5, 131.1, 130.6, 129.7, 129.0, 128.2, 128.1, 128.0, 127.5, 126.8, 126.5, 125.1, 124.0, 123.6, 122.7, 121.1, 118.4, 113.5, 108.0, 103.9, 80.3. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}ClN_2O_2$ 525.1364; Found 525.1360. The enantiomeric excess was determined to be 88% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]:16.1min (major), 18.0 min (minor). $[\alpha]^{22}_D$ = +259.5 (c = 1.00, CH_2Cl_2).

(*R*)-7'-fluoro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ac)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 21.8 mg, 86% yield. Mp 240.2-243.3 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.55 (s, 1H), 9.41 (s, 1H), 7.98 (d, *J* = 5.0 Hz, 1H), 7.87-7.85 (m, 2H), 7.74-7.68 (m, 2H), 7.50-7.48 (m, 1H), 7.30-7.19 (m, 6H), 7.11-7.10 (m, 1H), 6.93-6.90 (m, 3H), 6.85 (s, 1H), 6.68-6.66 (m, 1H), 6.53-6.51 (m, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 157.6 (d, *J* = 233.1 Hz), 154.2, 144.3, 143.6, 139.9, 135.0, 134.9, 134.7, 133.6 (d, *J* = 12.6 Hz), 132.6, 131.8, 131.0, 130.5, 129.8, 129.0, 128.4, 128.1, 127.3, 126.7, 124.8, 124.0, 123.4, 123.0, 118.7, 112.4, 111.2, 111.0, 109.7 (d, *J* = 10.1 Hz), 106.4 (d, *J* = 24.0 Hz), 104.7, 80.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}FN_2O_2$ 509.1660; Found 509.1654. The enantiomeric excess was determined to be 73% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 10.7 min (major), 13.6 min (minor). $[\alpha]^{22}_D$ = 421.7 (c = 1.00, CH_2Cl_2).

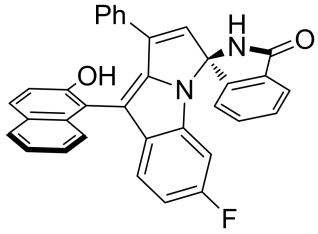
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-7'-methyl-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ad)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 23.5mg, 96% yield. Mp 188.5-191.0 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ

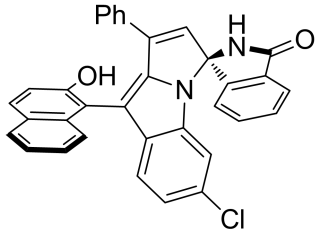
(ppm) 9.50 (s, 1H), 9.25 (s, 1H), 7.94 (d, *J* = 10.0 Hz, 1H), 7.85-7.82 (m, 2H), 7.70-7.64 (m, 2H), 7.50-7.48 (m, 1H), 7.28-7.21 (m, 5H), 7.15 (d, *J* = 10.0 Hz, 1H), 7.11-7.08 (m, 1H), 6.91-6.88 (m, 2H), 6.82 (d, *J* = 10.0 Hz, 1H), 6.75-6.74 (m, 2H), 6.41 (d, *J* = 5.0 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.1, 154.2, 144.8, 141.9, 140.0, 134.9, 134.7, 133.5, 132.7, 132.6, 132.3, 132.0, 130.7, 129.5, 128.9, 128.7, 128.4, 128.3, 128.1, 127.3, 126.5, 125.1, 124.5, 123.8, 123.3, 122.9, 121.1, 118.7, 113.2, 108.7, 104.1, 79.9, 21.4. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{25}N_2O_2$ 505.1911; Found 505.1906. The enantiomeric excess was determined to be 96% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 10.0 min (major), 15.1 min (minor). $[\alpha]^{22}_D$ = +505.0 (c = 1.00, CH_2Cl_2).

(*R*)-6'-fluoro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ae)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 19.3 mg, 77% yield. Mp 168.0-170.1 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.52 (s, 1H), 9.35 (s, 1H), 7.98-7.97 (m, 1H), 7.86-7.83 (m, 2H), 7.74-7.70 (m, 2H), 7.47-7.45 (m, 1H), 7.31 (d, *J* = 5.0 Hz, 1H), 7.28-7.22 (m, 4H), 7.18 (d, *J* = 5.0 Hz, 1H), 7.12-7.07 (m, 1H), 6.96-6.89 (m, 3H), 6.80-6.77 (m, 2H), 6.19-6.17 (m, 1H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.0, 159.8 (d, *J* = 238.1 Hz), 154.2, 144.1, 142.3, 139.8, 134.8, 133.7, 133.5 (d, *J* = 10.1 Hz),, 132.8, 132.5, 131.8, 131.0, 129.8, 129.0, 128.4, 128.1, 127.3, 126.6, 124.8, 124.0, 123.4, 123.0, 122.6 (d, *J* = 10.1 Hz), 118.7, 112.5, 108.2 (d, *J* = 24.0 Hz), 104.7, 95.3, 95.1, 80.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}FN_2O_2$ 509.1660; Found 509.1658. The enantiomeric excess was determined to be 96% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 5.1 min (major), 5.8 min (minor). $[\alpha]^{22}_D$ = +697.2 (c = 1.00, CH_2Cl_2).

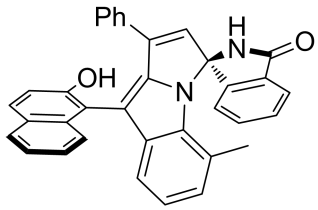
(*R*)-6'-chloro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3af)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 25.0 mg, 95% yield. Mp 173.1-175.6 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.56 (s, 1H), 9.39 (s, 1H), 8.00 (d, *J* = 5.0 Hz, 1H), 7.87-7.84 (m, 2H), 7.75-7.71 (m, 2H), 7.45-7.44 (m, 1H), 7.33 (d, *J* = 5.0 Hz, 1H), 7.27-7.23 (m, 4H), 7.19 (d, *J* = 5.0 Hz, 1H), 7.12-7.09 (m, 1H), 6.99-6.95 (m, 2H), 6.93-6.90 (m, 2H), 6.85 (s, 1H), 6.45 (s, 1H). ^{13}C NMR

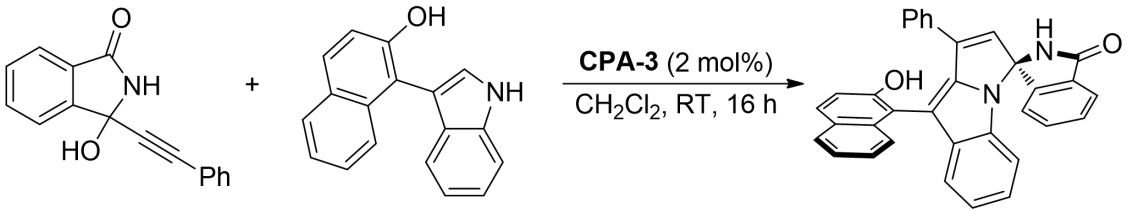
(DMSO-*d6*, 126 MHz): δ (ppm) 169.0, 154.3, 144.1, 142.6, 139.8, 134.8, 133.9, 133.8, 133.4, 133.3, 132.5, 131.7, 131.1, 129.9, 129.1, 128.4, 128.3, 128.1, 127.7, 127.3, 126.7, 124.8, 124.0, 123.4, 123.0, 122.9, 120.3, 118.7, 112.3, 108.2, 104.7, 80.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{34}H_{22}ClN_2O_2$ 525.1364; Found 525.1360. The enantiomeric excess was determined to be 95% by HPLC. [IC column, 254 nm, *n*-hexane:EtOH = 95:5, 1.0 mL/min]: 9.6 min (major), 15.2 min (minor). $[\alpha]^{22}_D$ = +614.2 (c = 1.00, CH_2Cl_2).

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-5'-methyl-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ag)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 7:1. White solid, 21.7 mg, 81% yield. Mp 115.5-118.0 °C. ^{1}H NMR (DMSO-*d6*, 500 MHz): δ (ppm) 9.63 (s, 1H), 9.16 (s, 1H), 7.83-7.82 (m, 1H), 7.78-7.72 (m, 2H), 7.62-7.60 (m, 2H), 7.41-7.39 (m, 1H), 7.23-7.19 (m, 3H), 7.08-6.99 (m, 4H), 6.79-6.70 (m, 5H), 6.54 (s, 1H), 1.80 (s, 3H). ^{13}C NMR (DMSO-*d6*, 126 MHz): δ (ppm) 169.5, 154.2, 146.8, 143.6, 138.2, 135.4, 135.2, 134.9, 134.0, 133.6, 133.3, 132.0, 130.8, 129.6, 128.7, 128.4, 127.9, 127.4, 126.6, 125.5, 125.1, 124.0, 123.3, 122.9, 120.7, 120.5, 119.2, 118.7, 113.1, 104.9, 81.2, 19.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{25}N_2O_2$ 505.1911; Found 505.1906. The enantiomeric excess was determined to be 80% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 13.4 min (major), 15.6 min (minor). $[\alpha]^{22}_D$ = +250.0 (c = 1.00, CH_2Cl_2).

D: Large-scale Reaction



1a
311.0 mg (1.25 mmol)

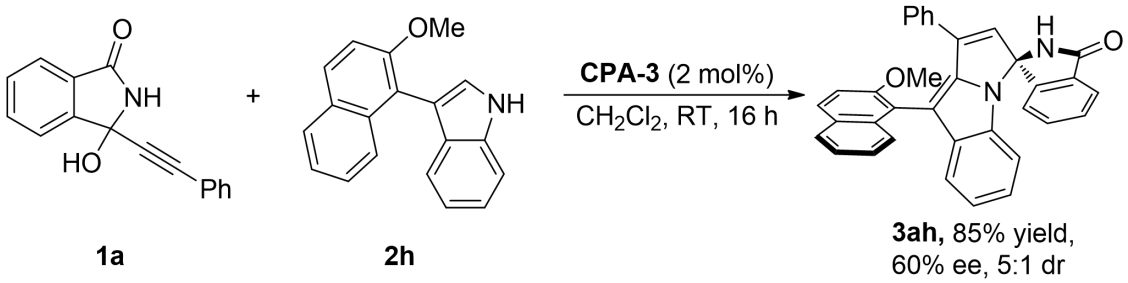
2a
388.0 mg (1.50 mmol)

3aa
550.2 mg, 88% yield,
91% ee, 15:1 dr

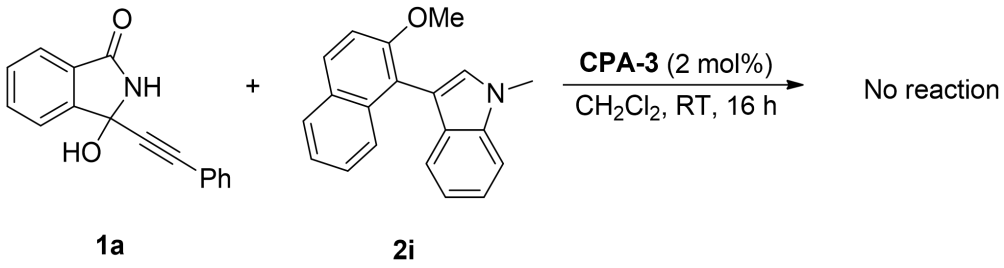
To a solution of CH_2Cl_2 (7.5 mL) were added propargylic alcohol **1a** (311.0 mg, 1.25 mmol), 3-naphtholindole **2a** (388.0 mg, 1.50 mmol) and **CPA-3** (24.8 mg, 0.025

mmol). The reaction mixture was stirred at room temperature for 16 h. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired product **3aa** as a white solid (543.8 mg, 88% yield, 93% ee, 15:1 dr).

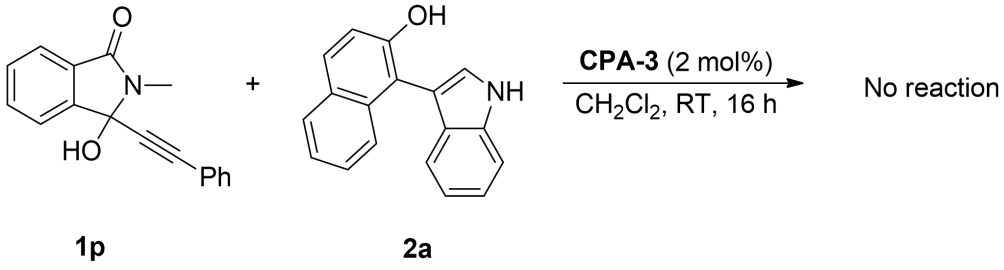
E: Mechanism Studies



To a solution of CH_2Cl_2 (0.3 mL) were added propargylic alcohols **1a** (0.05 mmol), 3-naphtholindole **2h** (0.06 mmol) and **CPA-3** (0.001 mmol). The reaction mixture was stirred at room temperature for 16 h. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired product **3ah**.

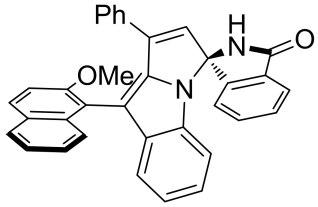


To a solution of CH_2Cl_2 (0.3 mL) were added propargylic alcohol **1a** (0.05 mmol), 3-naphtholindole **2i** (0.06 mmol) and **CPA-3** (0.001 mmol). The reaction mixture was stirred at room temperature for 16 h and no desired product was observed.



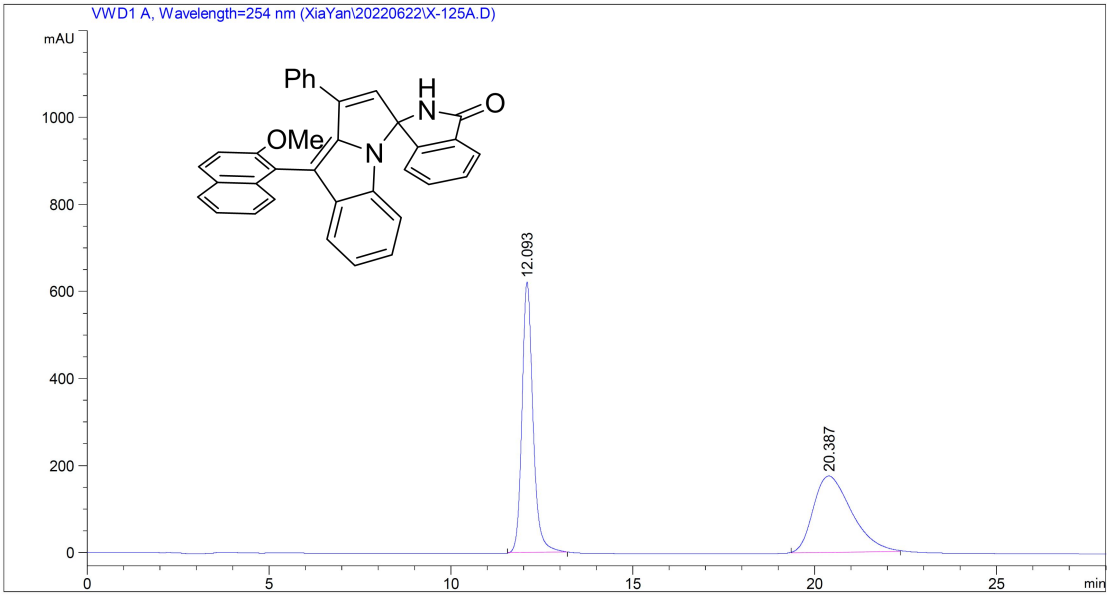
To a solution of CH_2Cl_2 (0.3 mL) were added propargylic alcohol **1p** (0.05 mmol), 3-naphtholindole **2a** (0.06 mmol) and **CPA-3** (0.001 mmol). The reaction mixture was stirred at room temperature for 16 h and no desired product was observed.

(*R*)-9'-((*S*)-2-methoxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1, 2-a]indol]-3-one (3ah)

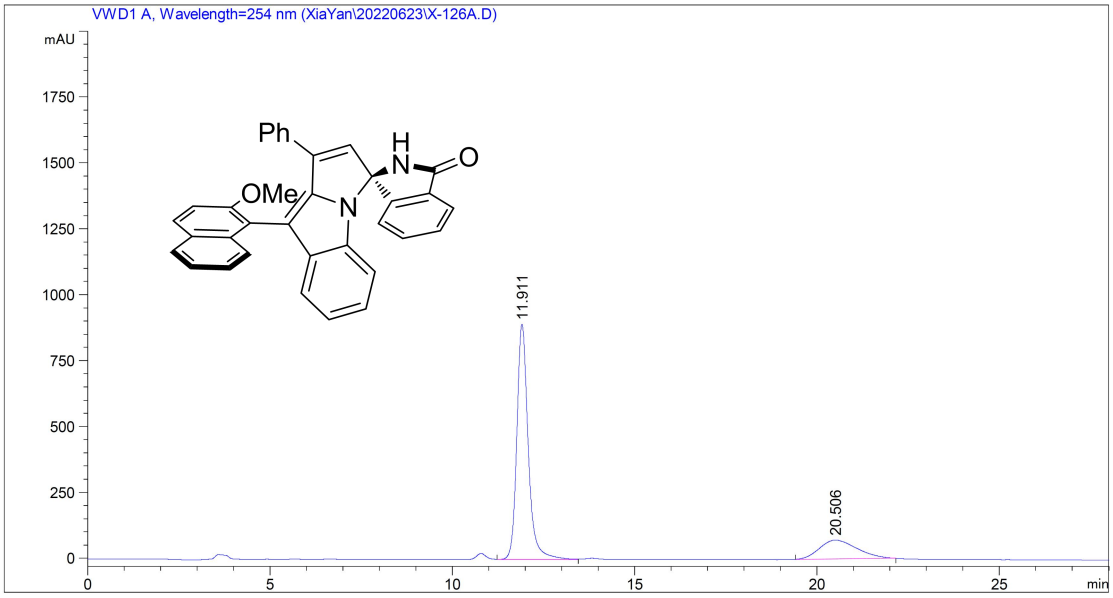


Eluent for flash column chromatography: petroleum ether/ethyl acetate = 10:1. White solid, 22.0 mg, 85% yield. Mp 175.5-178.9 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.58 (s, 1H), 8.01-7.94 (m, 3H), 7.70-7.64 (m, 3H), 7.39-7.37 (m, 2H), 7.29 (d, *J* = 5.0 Hz, 1H), 7.15-7.09 (m, 4H), 7.01-6.90 (m, 5H), 6.79 (s, 1H), 6.55 (d, *J* = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 169.1, 155.5, 144.6, 142.0, 140.1, 134.1, 133.9, 133.8, 132.8, 132.6, 132.0, 130.8, 130.0, 129.0, 128.9, 128.7, 128.0, 127.2, 126.8, 125.5, 123.9, 123.8, 123.1, 122.9, 121.4, 120.2, 116.0, 113.9, 109.1, 104.0, 80.1, 56.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{25}N_2O_2$ 505.1911; Found 505.1912. The enantiomeric excess was determined to be 60% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 12.1 min (major), 20.4 min (minor). $[\alpha]^{22}_D$ = +296.1 (c = 1.00, CH_2Cl_2).

(*R*)-9'-((*S*)-2-methoxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1, 2-a]indol]-3-one (3ah)

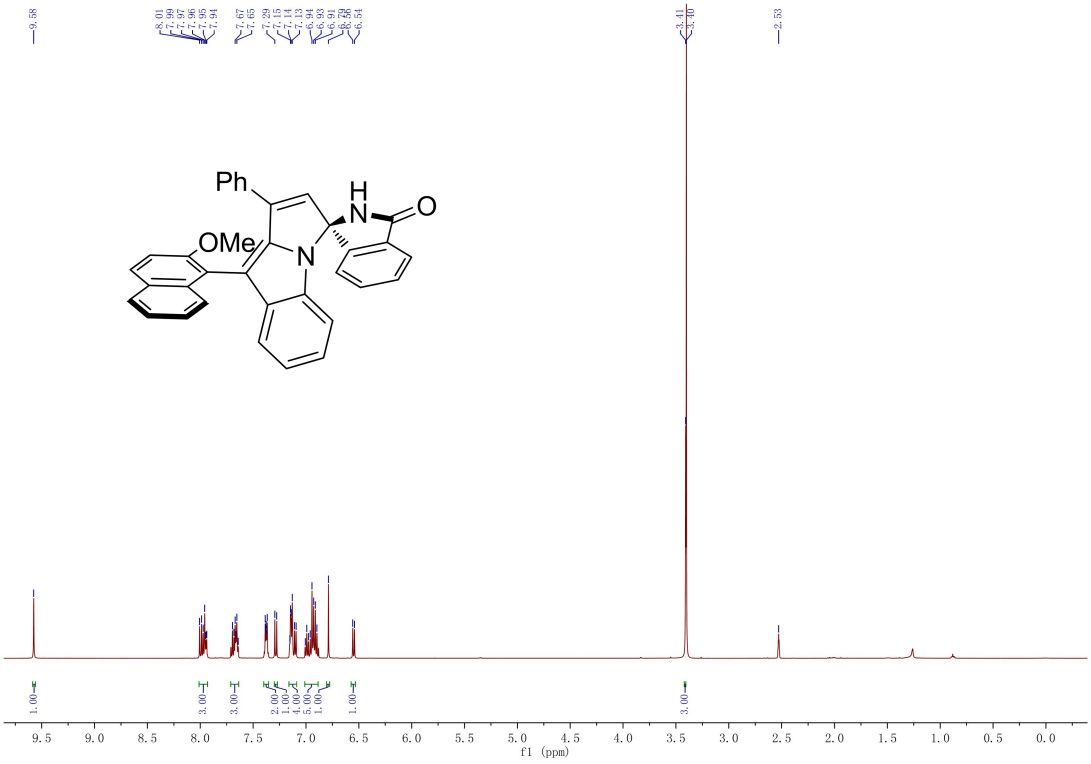


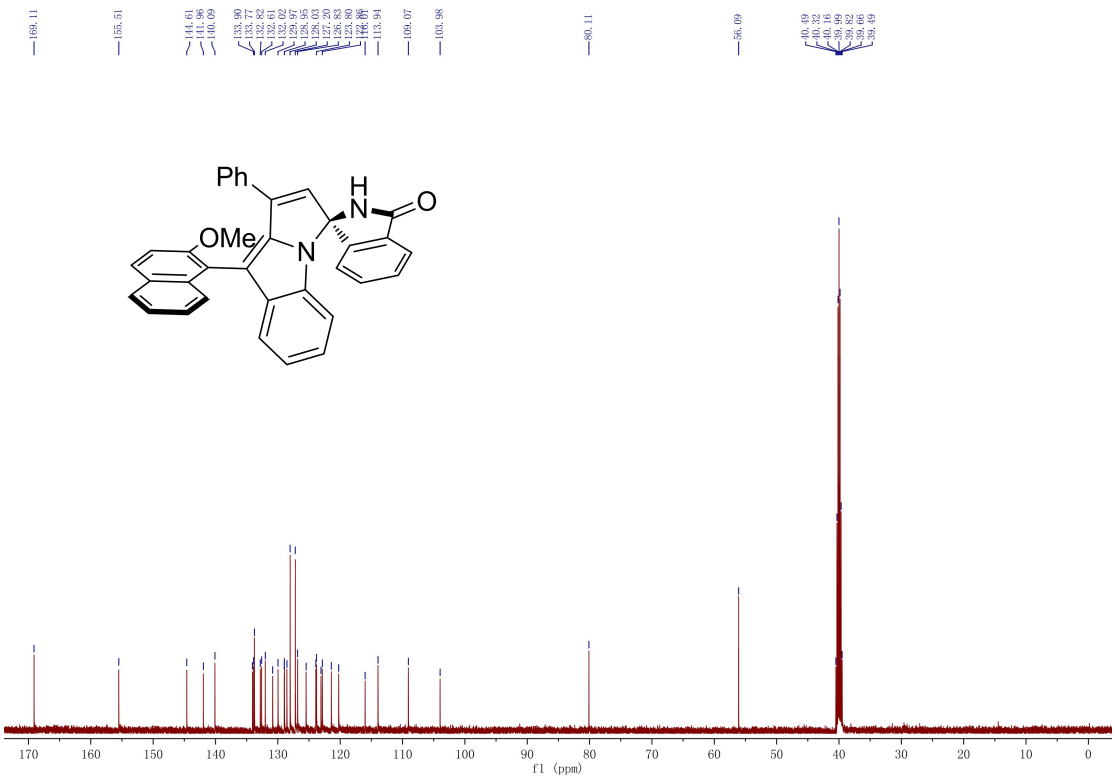
#	Time	Area	Height	Width	Symmetry	Area %
1	12.093	13053.6	621.2	0.3502	0.81	50.295
2	20.387	12900.4	176	1.2217	0.663	49.705



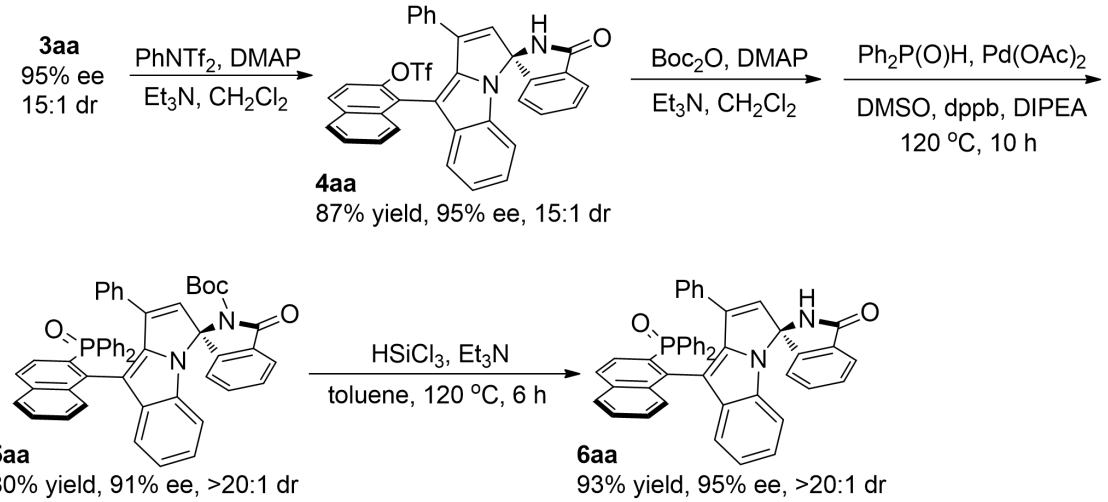
#	Time	Area	Height	Width	Symmetry	Area %
1	11.911	19394.7	893	0.362	0.782	79.233
2	20.506	5083.2	72.3	1.1725	0.728	20.767

(*R*)-9'-((*S*)-2-methoxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ah)





F: Synthetic Transformations

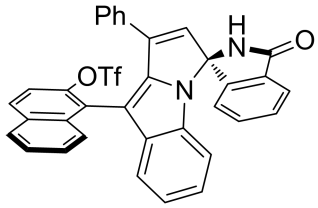


To a flame-dried round bottmed flask under nitrogen were added **3aa** (24.5 mg, 0.05 mmol), *N*-phenyltrifluoromethanesulfonimide ($PhNTf_2$, 53.5 mg, 0.15 mmol), DMAP (0.61 mg, 0.005 mmol), DCM (1 mL) and Et_3N (15.2 mg, 0.15 mmol). The reaction mixture was stirred at room temperature for 3 h. The product was extracted with DCM (3 X 5 mL) and dried over anhydrous Na_2SO_4. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired product **4aa** as a white solid (27.2 mg, 87% yield, 95% ee, 15:1 dr).

Under argon atmosphere, DMSO (1 mL, pre-deoxygenized by ultrasonic) was added to the mixture of **4aa** (31.1 mg, 0.05 mmol), $Ph_2P(O)H$ (40.4 mg, 0.2 mmol), $Pd(OAc)_2$ (3.8 mg, 0.015 mmol) and dppb (6.4 mg, 0.015 mmol). Then, *i*-Pr_2NEt (DIPEA, 42 uL, 0.25 mmol) was added to the reaction mixture, which was stirred at 120 °C for 10 h. After the completion of the reaction which was indicated by TLC, the reaction mixture was diluted with dichloromethane and quenched with hydrochloric acid (1 M). The resultant mixture was extracted with dichloromethane, and the organic layer was washed successively with saturated $NaHCO_3$ aqueous solution and brine. Subsequently, the resultant organic layer was dried with anhydrous Na_2SO_4 and concentrated in vacuo to give a residue, which was purified by flash column chromatography (PE:EA = 4:1) to afford pure product **5aa** as a white solid (30.1 mg, 80% yield, 91% ee, >20:1dr).

Under argon atmosphere, to the solution of compound **5aa** (37.9 mg, 0.05 mmol) in anhydrous toluene (1 mL) was successively added Et_3N (0.18 mL, 1.25 mmol) and $HSiCl_3$ (0.05 mL, 0.5 mmol). Then, the reaction mixture was heated to 120 °C and refluxed for 6 h. After the completion of the reaction which was indicated by TLC, the reaction mixture was quenched with saturated $NaHCO_3$ aqueous solution. The resultant mixture was filtered and the solid powder was washed with ethyl acetate. Subsequently, the filtrate was extracted with ethyl acetate, and the organic layer was dried over anhydrous Na_2SO_4 and concentrated in vacuo to give aresidue, which was further purified by flash column chromatography (PE:EA = 10:1) to afford pure product **6aa** as a white solid (30.5 mg, 93% yield, 95% ee, >20:1dr).

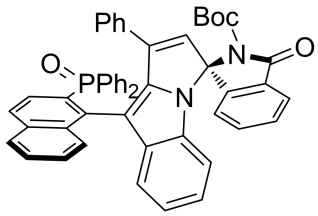
(*S*)-1-((*R*)-3-oxo-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-9'-yl)naphthalen-2-yl trifluoromethanesulfonate (4aa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 10:1. White solid, 27.1 mg, 87% yield. Mp 113.0-116.1 °C. ^1H NMR (DMSO-d_6, 500 MHz): δ (ppm) 9.51 (s, 1H), 8.19-8.14 (m, 2H), 7.96 (d, J = 5.0 Hz, 1H), 7.81 (d, J = 5.0 Hz, 1H), 7.70-7.65 (m, 3H), 7.59-7.56 (m, 1H), 7.33 (d, J = 10.0 Hz, 1H), 7.19 (d, J = 10.0 Hz, 1H), 7.15-7.12 (m, 1H), 7.05-6.95 (m, 5H), 6.95-6.88 (m, 2H), 6.85 (s, 1H), 6.52 (d, J = 10.0 Hz, 1H). ^{13}C NMR (DMSO-d_6, 126 MHz): δ (ppm) 169.0, 146.9, 143.8, 142.0, 139.3, 134.9, 133.7, 133.2, 133.2, 133.1, 132.8, 132.7, 131.6, 131.4, 131.0, 129.1, 129.0, 128.3, 128.2, 127.8, 127.2, 126.9, 124.9, 124.0, 123.7, 122.7, 121.4, 120.8, 119.4, 117.0, 109.1,

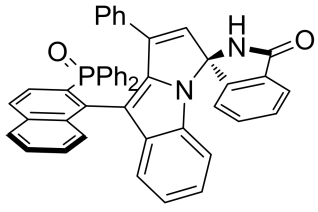
100.3, 80.4. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{25}H_{22}O_4N_2F_3S$ 623.1247; Found 623.1249.The enantiomeric excess was determined to be 95% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 7.4 min (major), 10.7 min (minor). $[\alpha]^{22}_D$ = +758.0 (c = 1.00, CH_2Cl_2).

(*S*)-*tert*-butyl-9'-((*S*)-2-(diphenylphosphoryl)naphthalen-1-yl)-3-oxo-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indole]-2-carboxylate (5aa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 5:3. White solid, 31.1 mg, 80% yield. Mp 95.0-97.5 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 8.16 (d, *J* = 5.0 Hz, 1H), 8.05 (d, *J* = 10.0 Hz, 1H), 7.97-7.93 (m, 2H), 7.79 (d, *J* = 5.0 Hz, 1H), 7.73-7.70 (m, 1H), 7.67-7.63 (m, 2H), 7.50-7.47 (m, 2H), 7.33-7.31 (m, 2H), 7.22-7.20 (m, 1H), 7.10-7.02 (m, 5H), 6.96-6.89 (m, 5H), 6.82-6.73 (m, 4H), 6.47-6.45 (m, 1H), 6.41 (d, *J* = 5.0 Hz, 1H), 6.30 (d, *J* = 5.0 Hz, 1H), 1.14 (s, 9H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 166.3, 148.8, 144.8, 143.8, 140.3, 139.0, 135.7, 134.6, 134.2, 134.1, 133.9, 133.5, 132.8, 132.6, 132.4, 132.3, 132.0, 131.8, 131.7, 131.6, 131.5, 131.3, 129.6, 129.0, 128.9, 128.8, 128.5, 128.4, 127.9, 127.8, 127.6, 127.0, 125.4, 124.4, 122.7, 120.6, 120.2, 108.1, 104.9, 82.8, 82.2, 28.0. ^{31}P NMR (DMSO-*d*$_6$, 202 MHz) δ 28.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{51}H_{40}O_4N_2P$ 775.2720; Found 775.2717.The enantiomeric excess was determined to be 91% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 85:15, 1.0 mL/min]: 8.0 min (minor), 9.5 min (major). $[\alpha]^{22}_D$ = +302.0 (c = 1.00, CH_2Cl_2).

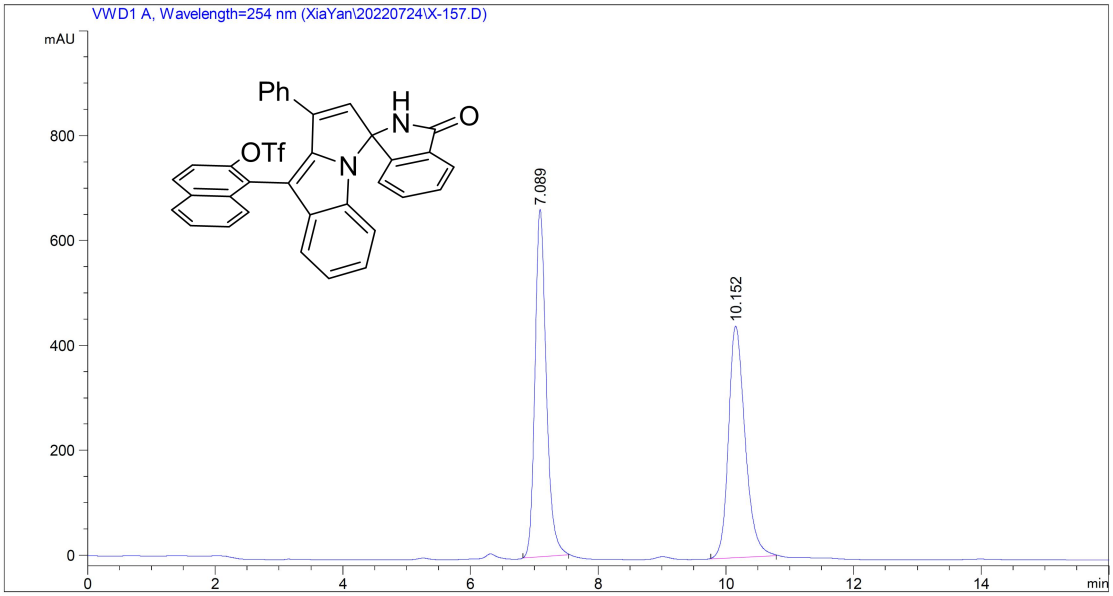
(1*R*,9'*S*)-9'-(2-(diphenylphosphoryl)naphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (6aa)



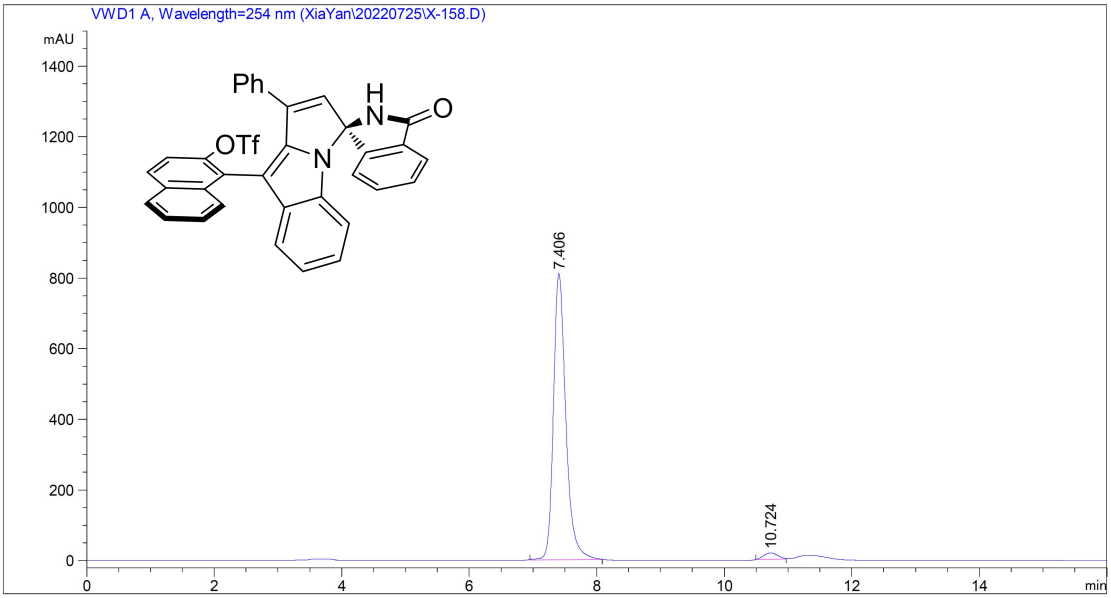
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 1:1. White solid, 30.5 mg, 93% yield. Mp 151.0-153.7 °C. ^{1}H NMR (DMSO-*d*$_6$, 500 MHz): δ (ppm) 9.47 (s, 1H), 8.03 (d, *J* = 10.0 Hz, 1H), 7.93-7.90 (m, 2H), 7.85-7.83 (m, 1H), 7.72 (d, *J* = 10.0 Hz, 1H), 7.66-7.59 (m, 3H), 7.54-7.46 (m, 2H), 7.33-7.30 (m, 2H), 7.23-7.21 (m, 1H), 7.10-6.93 (m, 10H), 6.79-6.73 (m, 3H), 6.62 (s, 1H), 6.48-6.45 (m, 1H), 6.38 (d, *J* = 10.0 Hz, 1H), 6.31 (d, *J* = 10.0 Hz, 1H). ^{13}C NMR (DMSO-*d*$_6$, 126 MHz): δ (ppm) 169.4, 145.5, 144.2, 140.5, 139.2, 134.6, 134.5, 134.4, 134.3, 134.2, 133.9, 133.7, 133.6, 133.0, 132.7, 132.6, 132.4, 131.9, 131.8, 131.7, 131.6, 131.5, 131.4, 130.6, 129.0, 128.9, 128.8, 128.5, 128.4, 128.0, 127.9, 126.9, 124.8, 123.4, 122.5, 120.5,

119.9, 108.7, 104.9, 80.2. ^{31}P NMR (DMSO-d_6, 202 MHz) δ 27.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{48}H_{32}O_2N_2P$ 675.2196; Found 675.2191.The enantiomeric excess was determined to be 95% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 80:20, 1.0 mL/min]: 9.6 min (major), 11.9 min (minor). $[\alpha]^{22}_D$ = +525.0 (c = 1.00, CH_2Cl_2).

(*S*)-1-((*R*)-3-oxo-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-9'-yl)naphthalen-2-yl trifluoromethanesulfonate (4aa)

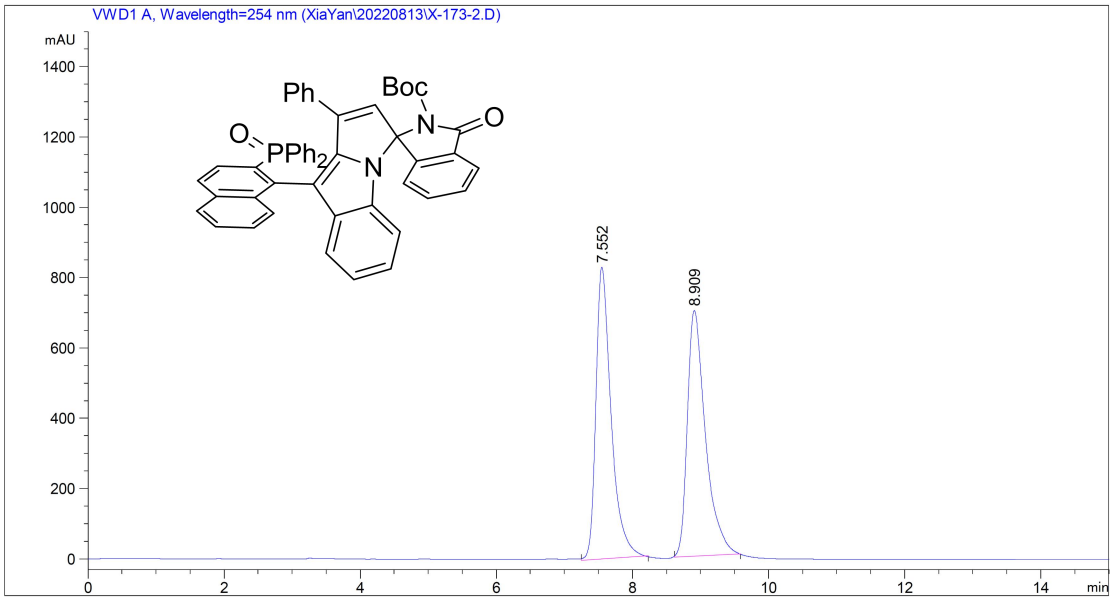


#	Time	Area	Height	Width	Symmetry	Area %
1	7.089	8139.4	662.6	0.2047	0.796	50.405
2	10.152	8008.6	442	0.302	0.729	49.595

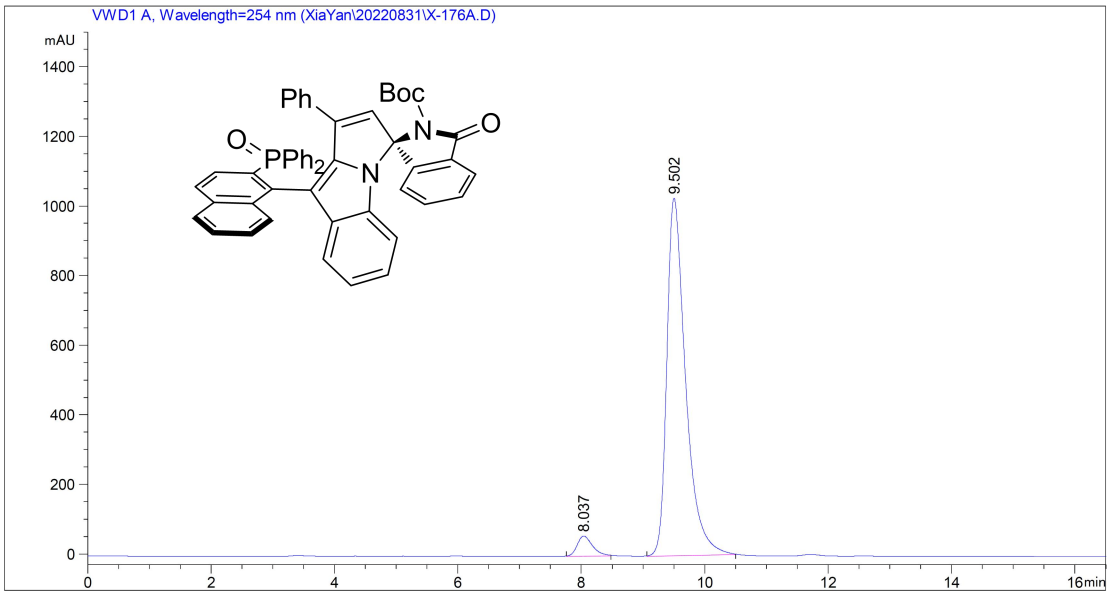


#	Time	Area	Height	Width	Symmetry	Area %
1	7.406	10982.3	811.5	0.2255	0.75	97.517
2	10.724	279.6	18.3	0.2549	0.898	2.483

(*S*)-*tert*-butyl-9'-((*S*)-2-(diphenylphosphoryl)naphthalen-1-yl)-3-oxo-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indole]-2-carboxylate (5aa)

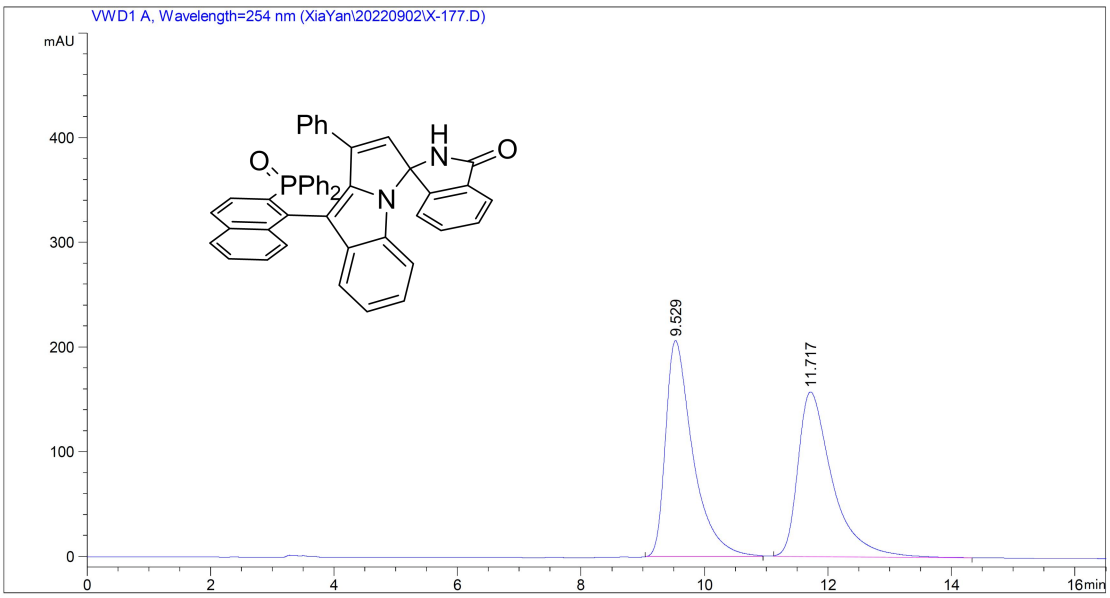


#	Time	Area	Height	Width	Symmetry	Area %
1	7.552	12907.4	829.8	0.2592	0.622	50.028
2	8.909	12892.8	698.6	0.3076	0.596	49.972



#	Time	Area	Height	Width	Symmetry	Area %
1	8.037	1004.5	57.9	0.289	0.713	4.413
2	9.502	21759	1027.3	0.353	0.602	95.587

(1*R*,9'*S*)-9'-(2-(diphenylphosphoryl)naphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (6aa)



#	Time	Area	Height	Width	Symmetry	Area %
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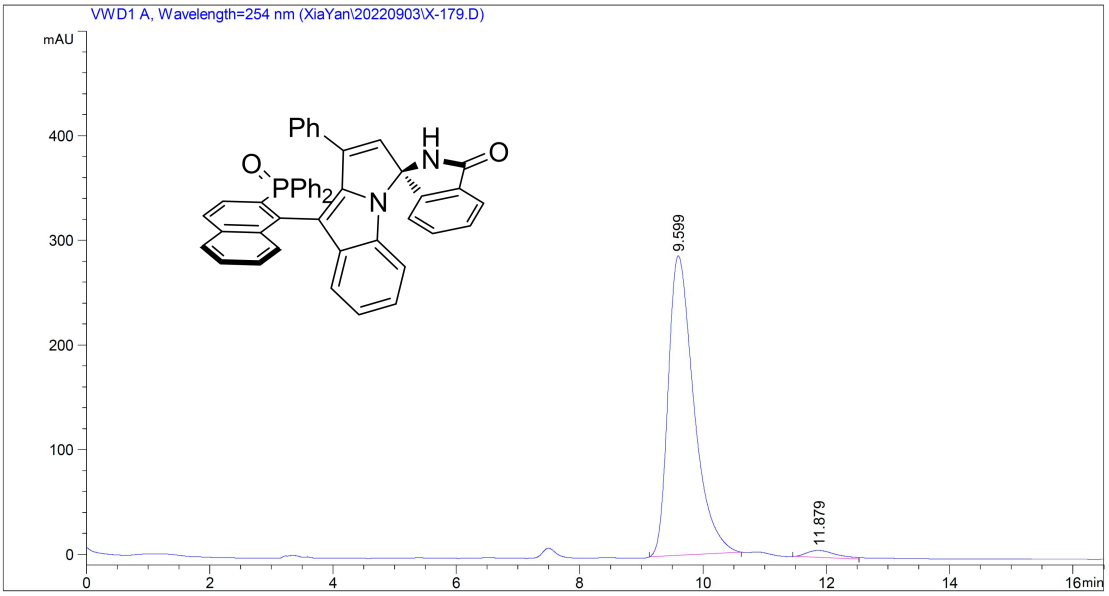
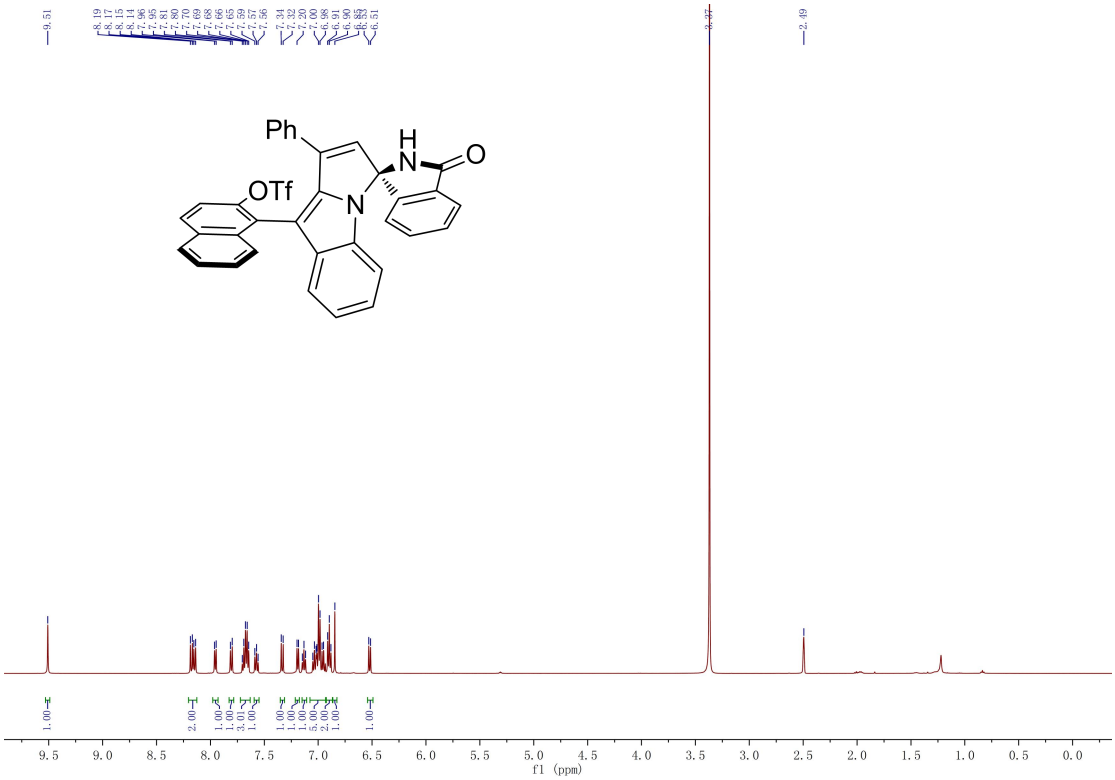
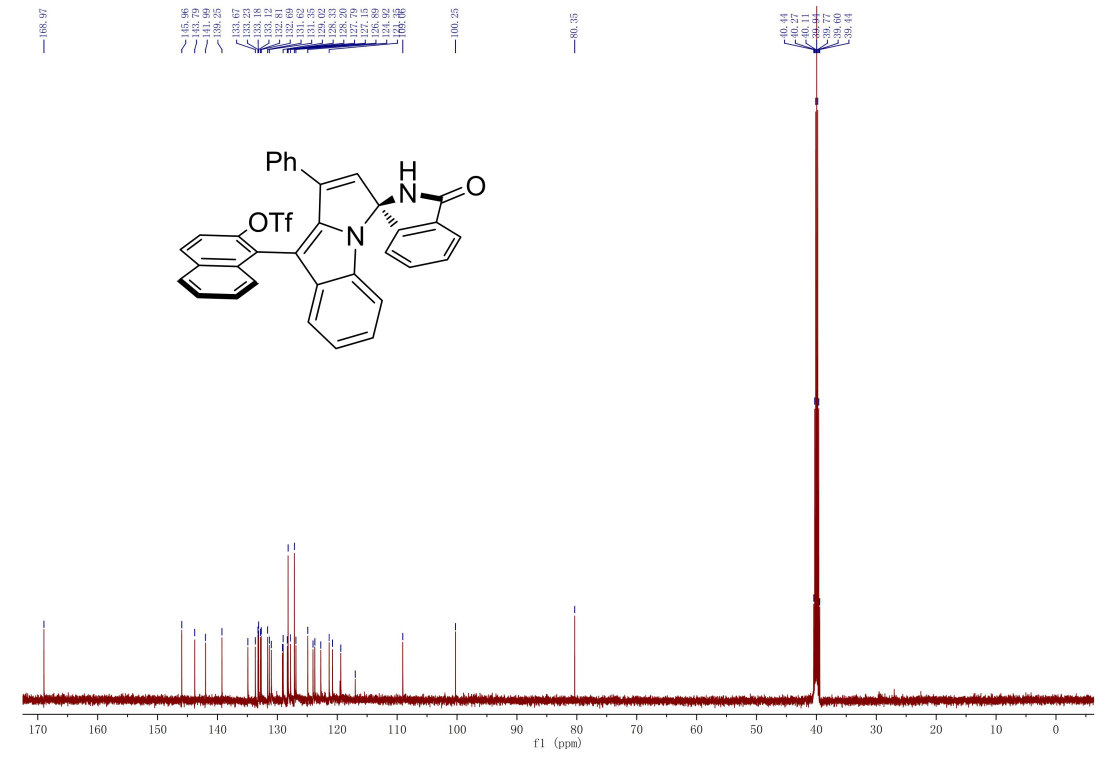


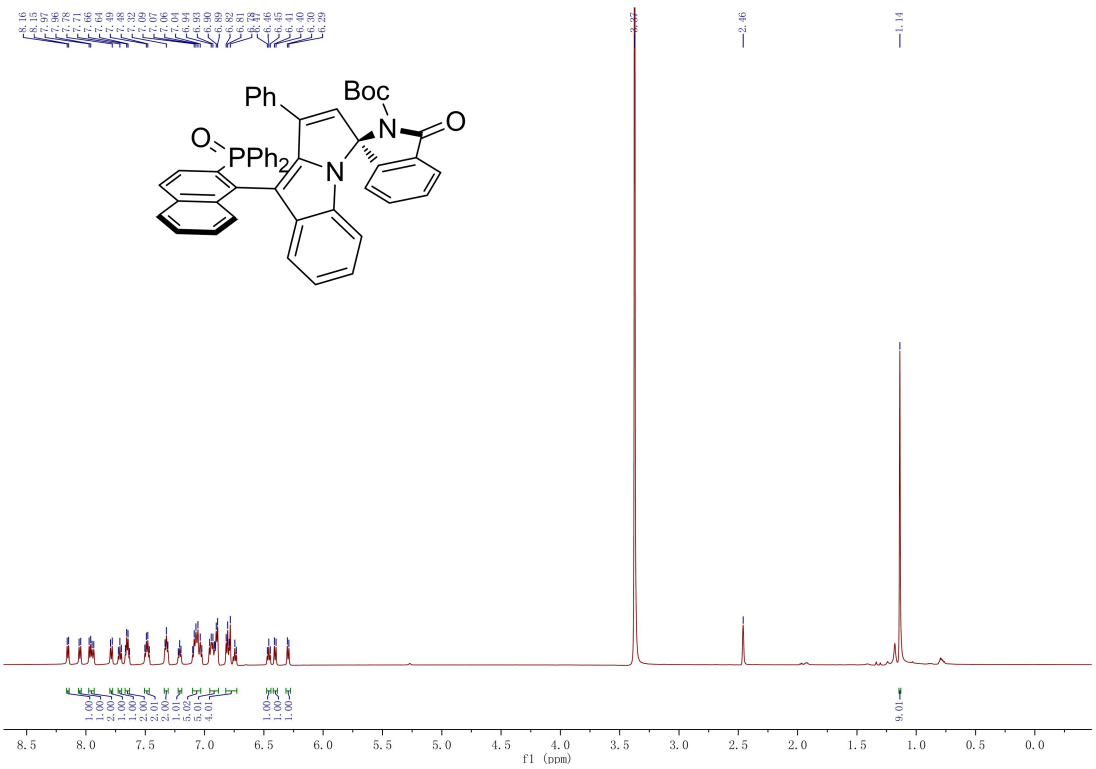
#	Time	Area	Height	Width	Symmetry	Area %
1	9.599	8276.2	286.3	0.4818	0.628	97.354
2	11.879	225	6.7	0.5616	0.562	2.646

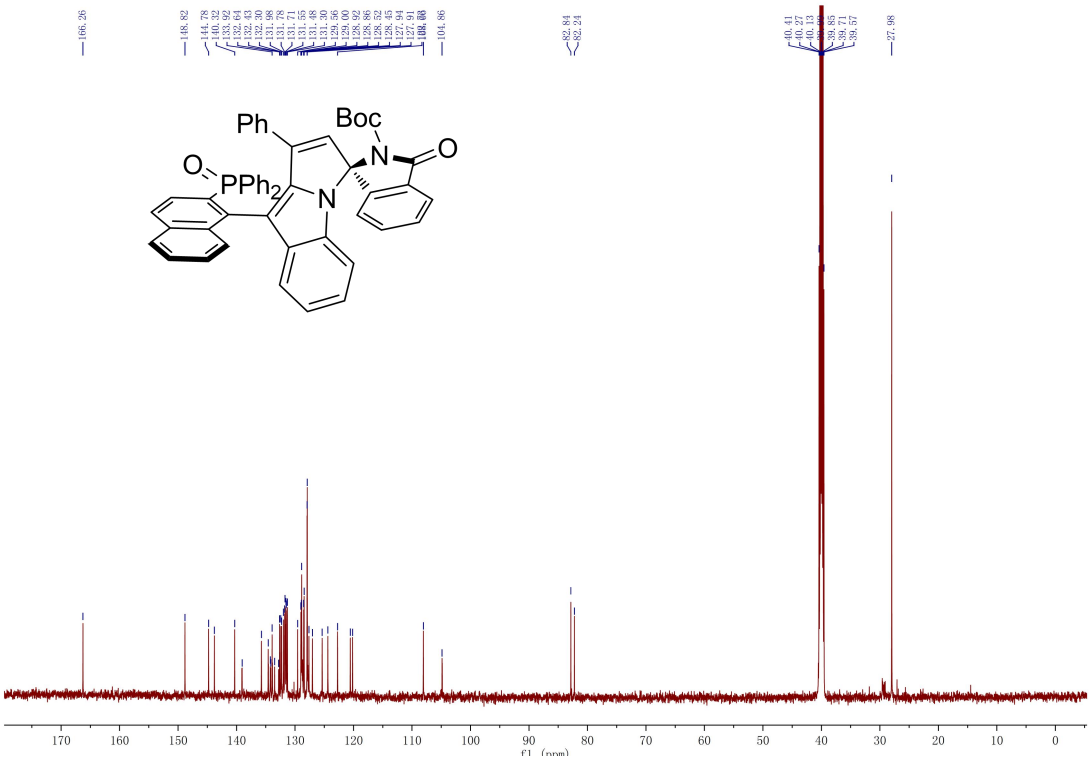
(*S*)-1-((*R*)-3-oxo-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-9'-yl)naphthalen-2-yl trifluoromethanesulfonate (4aa)

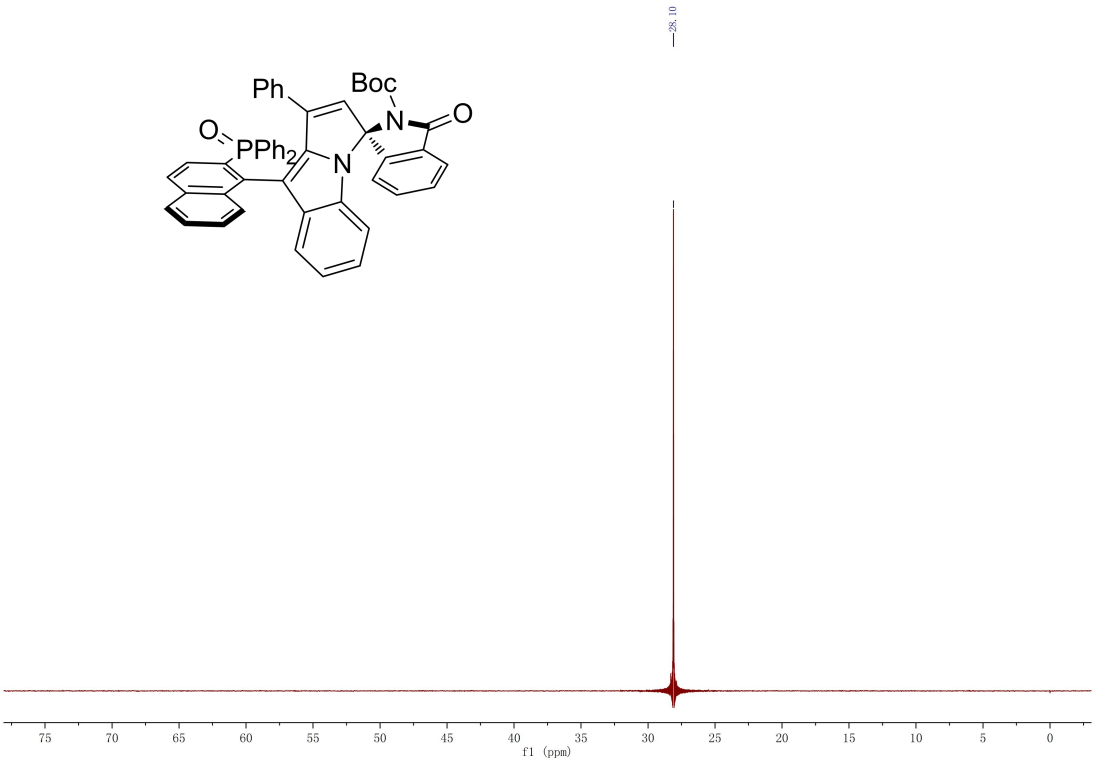




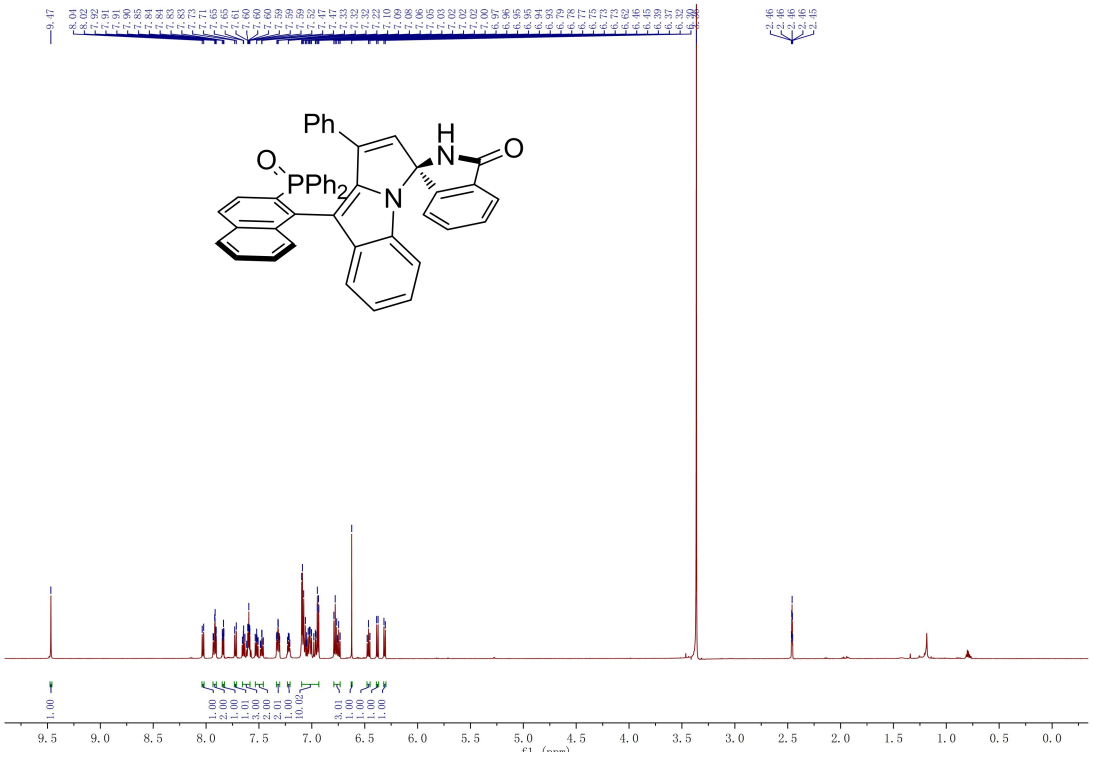
(*S*)-*tert*-butyl-9'-((*S*)-2-(diphenylphosphoryl)naphthalen-1-yl)-3-oxo-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indole]-2-carboxylate (5aa)

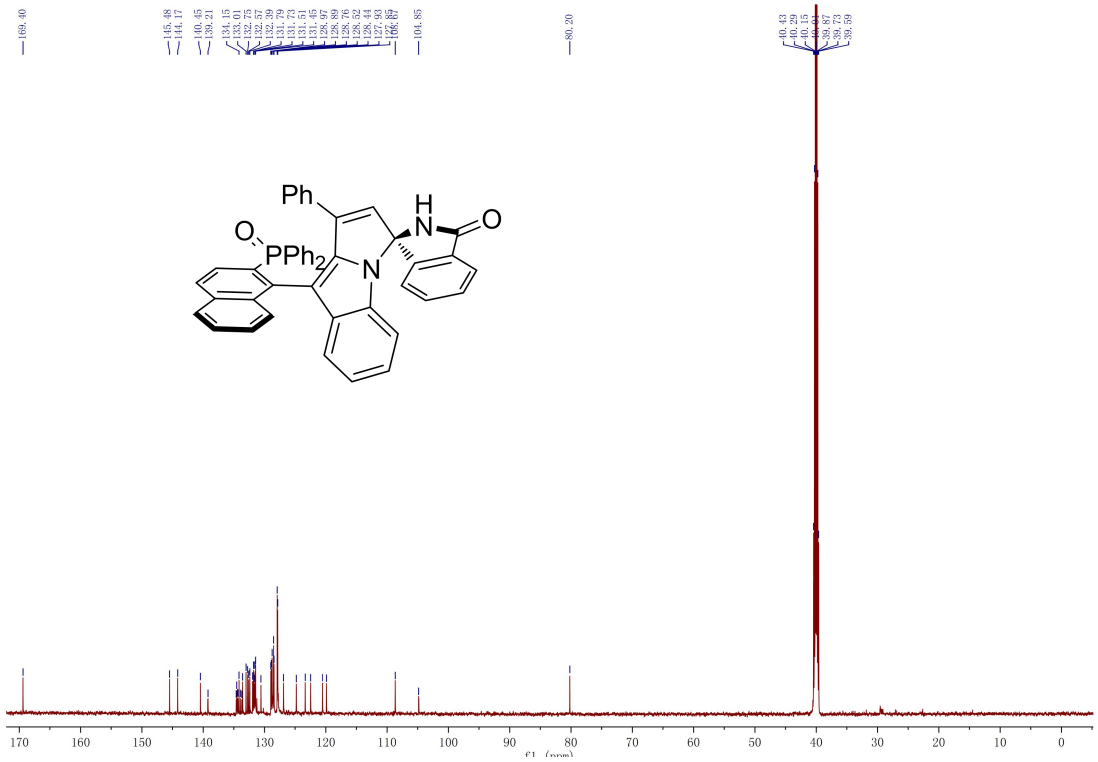

Ph
Boc
N
O
O
PPh2
N
f1 (ppm)


Ph
Boc
N
O
O
PPh2
N
f1 (ppm)



(1*R*,9'*S*)-9'-(2-(diphenylphosphoryl)naphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (6aa)

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39.59
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PPh2
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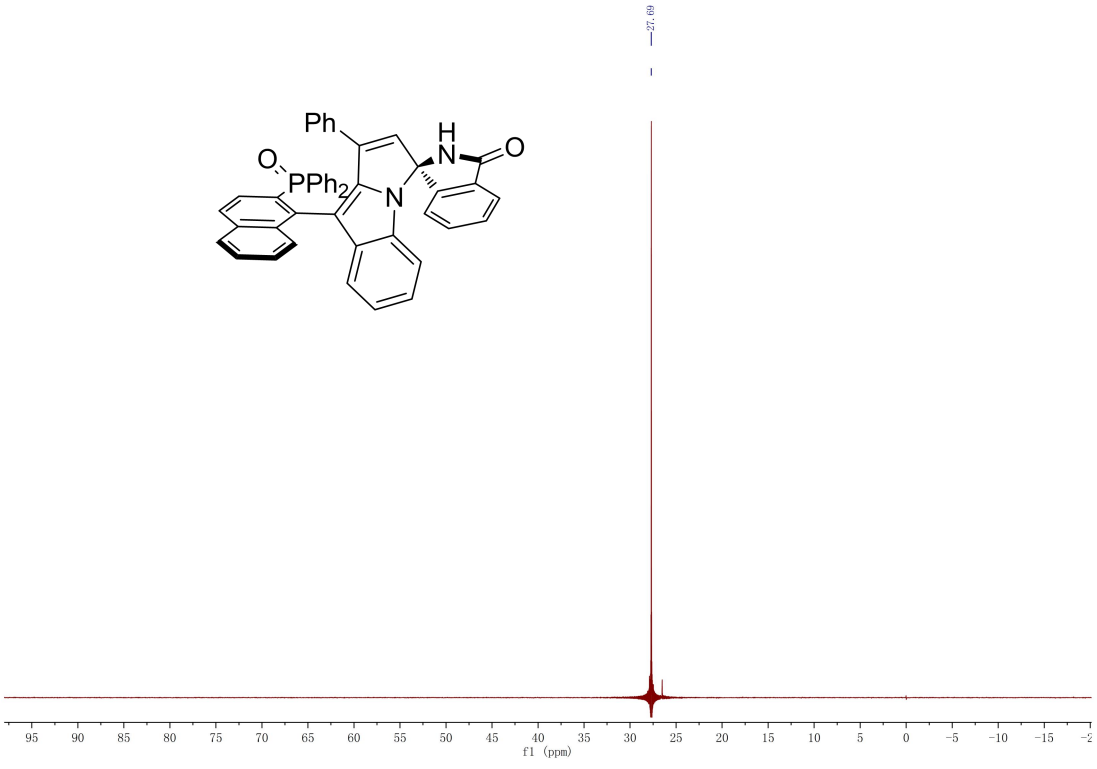
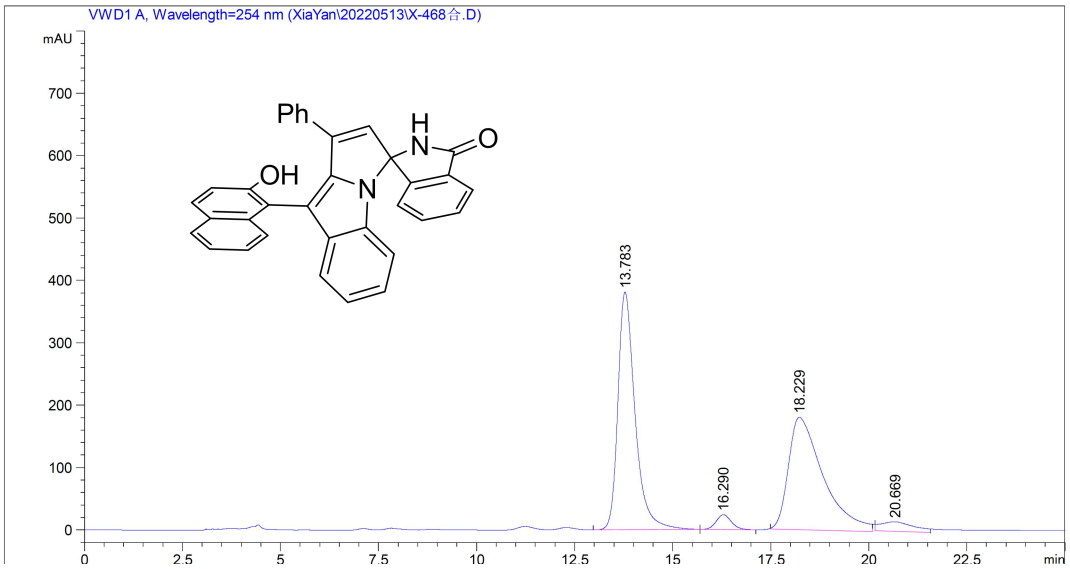
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

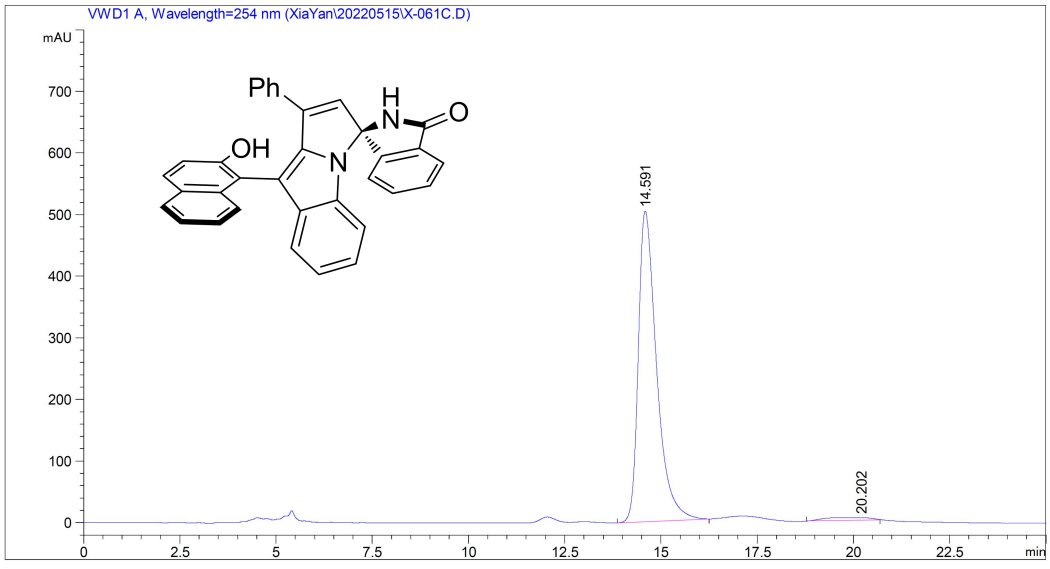

27.69
Ph
O
PPh2
N
H
N
O
95
90
85
80
75
70
65
60
55
50
45
40
35
30
25
20
15
10
5
0
−5
−10
−15
f1 (ppm)

I: HPLC Analysis

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3aa)

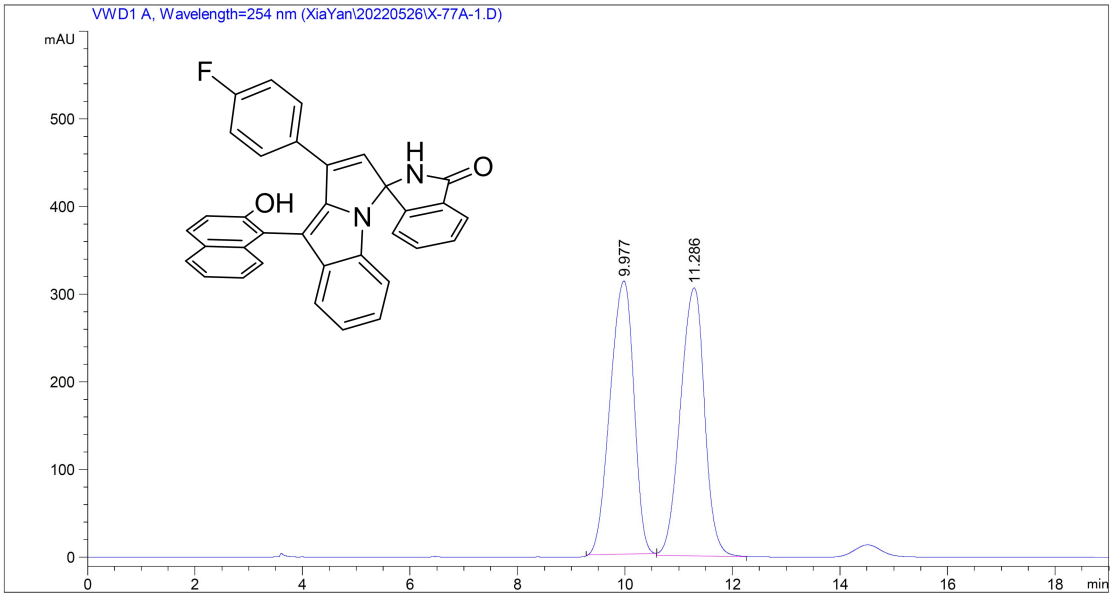


#	Time	Area	Height	Width	Symmetry	Area %
1	13.783	11611.6	381.1	0.4584	0.656	47.859
2	16.29	640.9	23.8	0.4141	0.871	2.642
3	18.229	11088.6	180.3	1.0253	0.45	45.704
4	20.669	920.7	15.4	0.9994	0.694	3.795

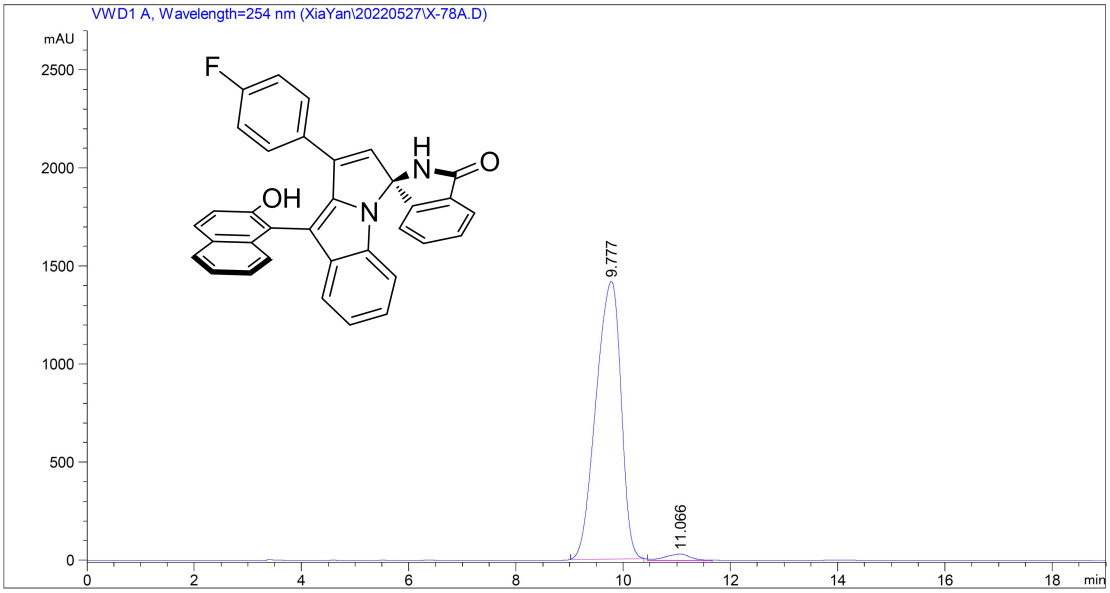


#	Time	Area	Height	Width	Symmetry	Area %
1	14.591	16641.4	504.1	0.5031	0.563	97.809
2	20.202	372.7	4.4	1.4082	3.217	2.191

(*R*)-1'-(4-fluorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ba)

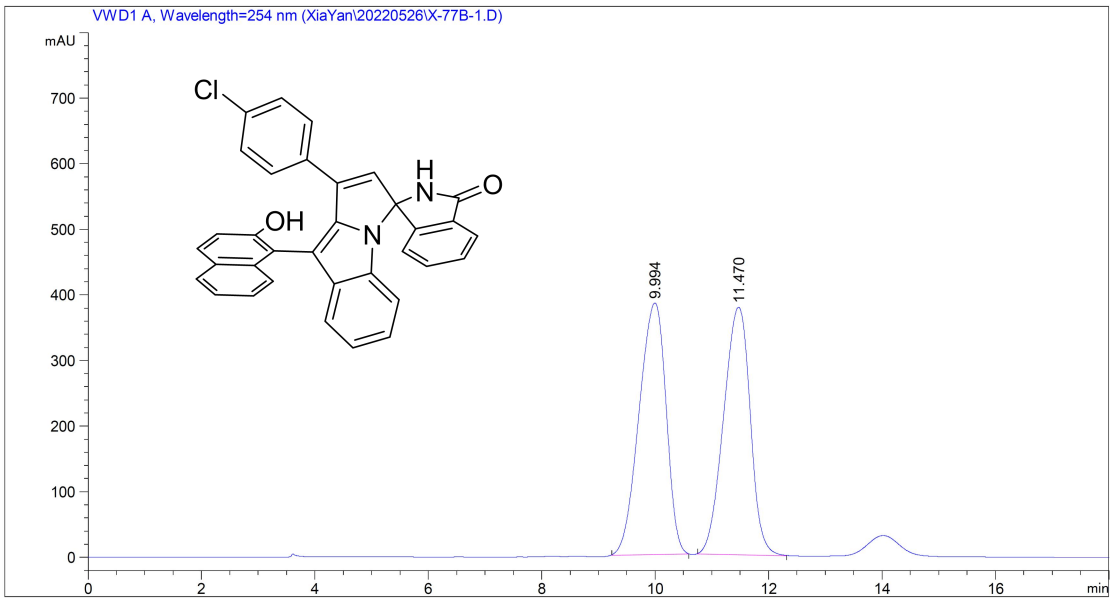


#	Time	Area	Height	Width	Symmetry	Area %
1	9.977	9799.1	314.7	0.5043	1.302	50.306
2	11.286	9680	306.9	0.495	1.246	49.694

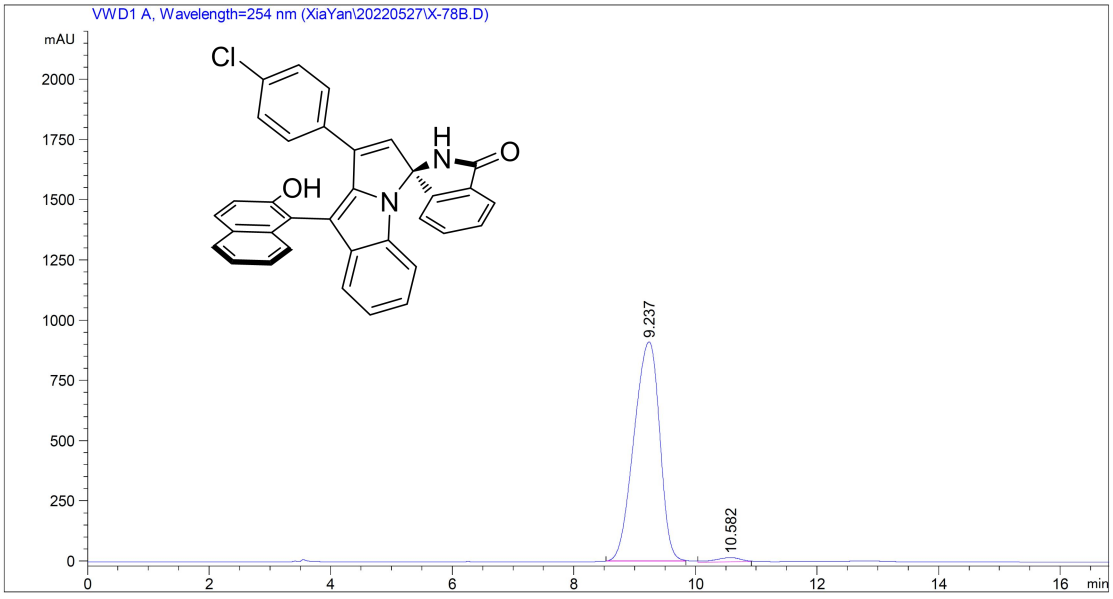


#	Time	Area	Height	Width	Symmetry	Area %
1	9.777	45849	1416.5	0.5395	1.506	97.631
2	11.066	1112.4	32.8	0.5652	1.366	2.369

(*R*)-1'-(4-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ca)

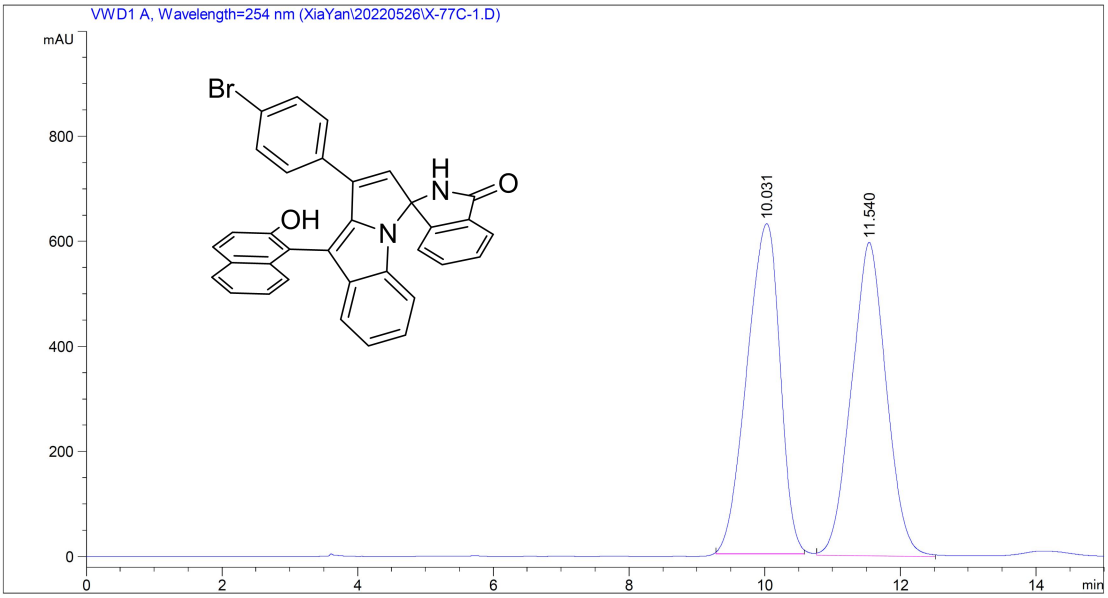


#	Time	Area	Height	Width	Symmetry	Area %
1	9.996	12649.3	383.6	0.5495	1.308	49.946
2	11.47	12676.7	381.5	0.5538	1.193	50.054

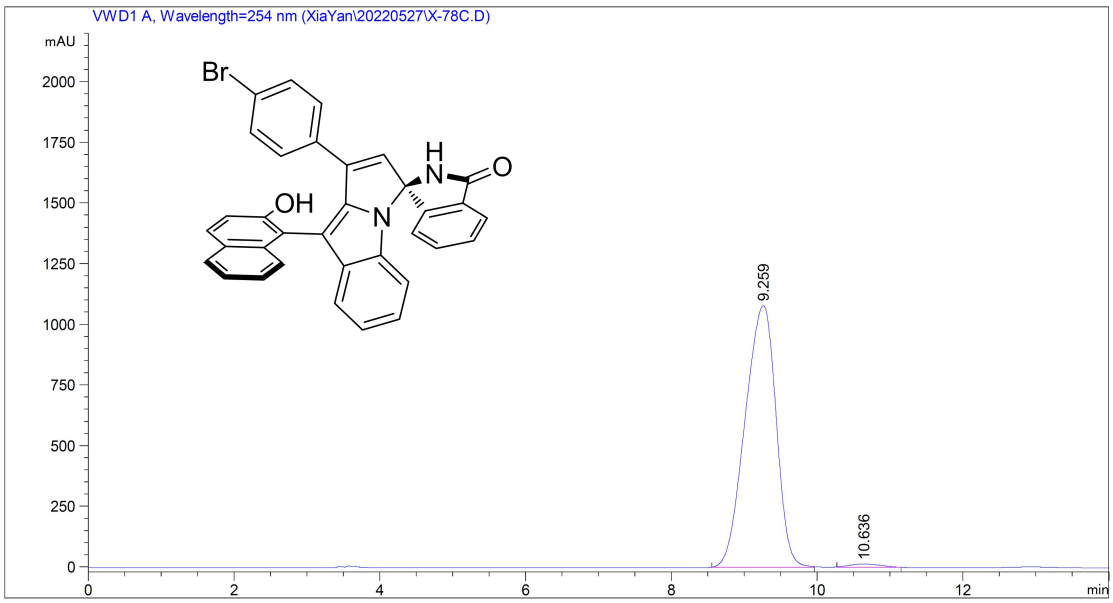


#	Time	Area	Height	Width	Symmetry	Area %
1	9.237	26681.4	909.6	0.4889	1.353	98.169
2	10.582	497.7	16.9	0.4903	1.604	1.831

(*R*)-1'-(4-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3da)

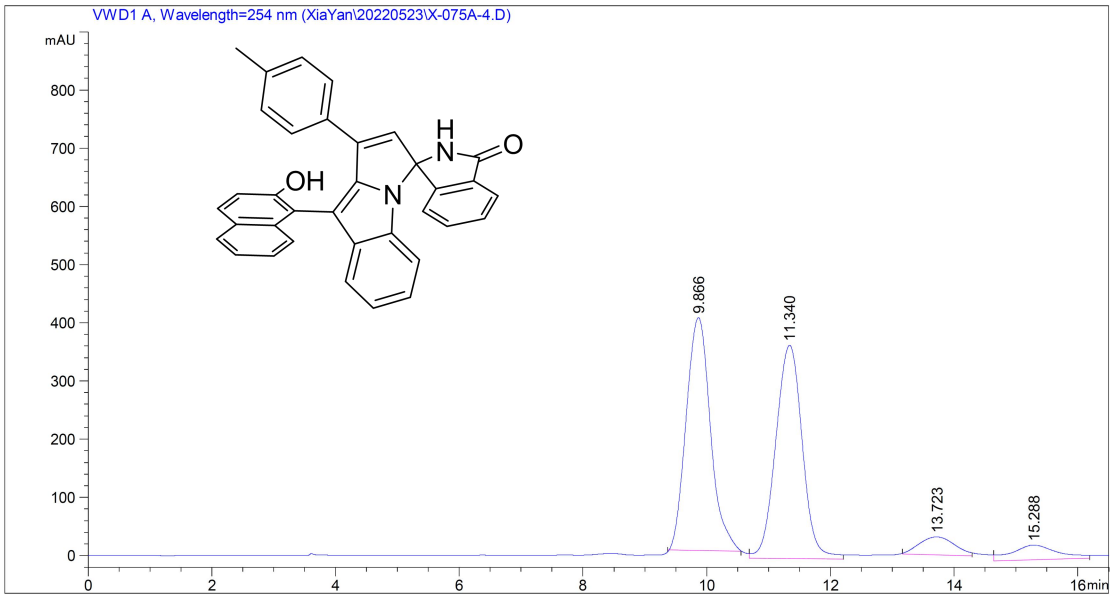


#	Time	Area	Height	Width	Symmetry	Area %
1	10.031	21352.1	628.7	0.566	1.429	50.078
2	11.54	21285.3	597.2	0.5941	0.988	49.922

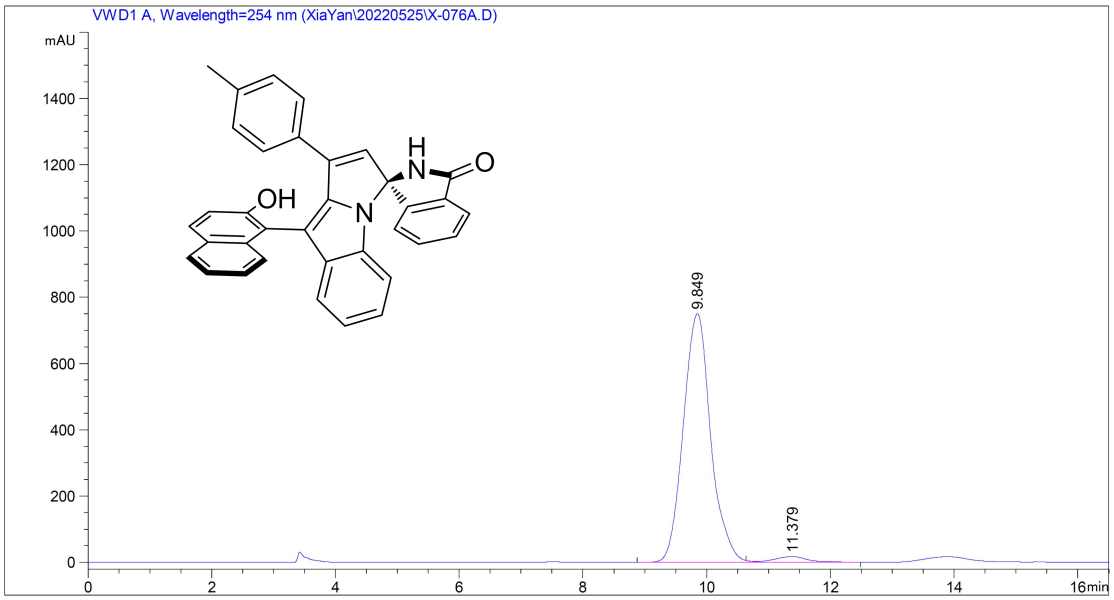


#	Time	Area	Height	Width	Symmetry	Area %
1	9.259	31831.1	1078.8	0.4918	1.351	98.613
2	10.636	447.8	14.2	0.5243	0.824	1.387

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(*p*-tolyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ea)

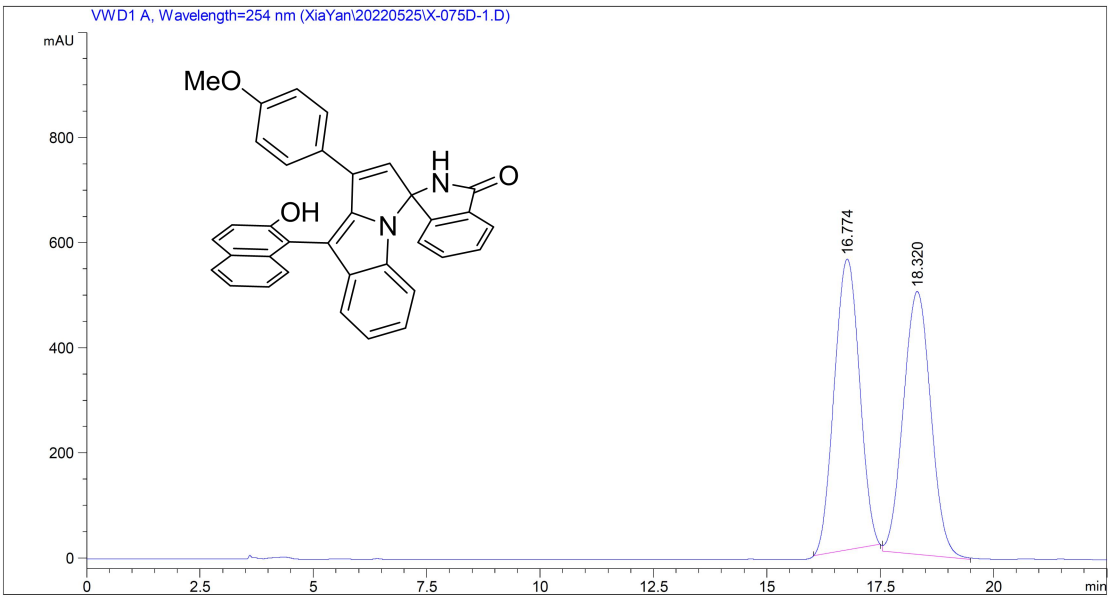


#	Time	Area	Height	Width	Symmetry	Area %
1	9.866	10906.5	399.8	0.4546	0.968	44.811
2	11.34	10936.2	366.6	0.4972	1.036	44.933
3	13.723	1211.3	30.7	0.6575	0.921	4.977
4	15.288	1285.2	25.2	0.8516	0.92	5.280

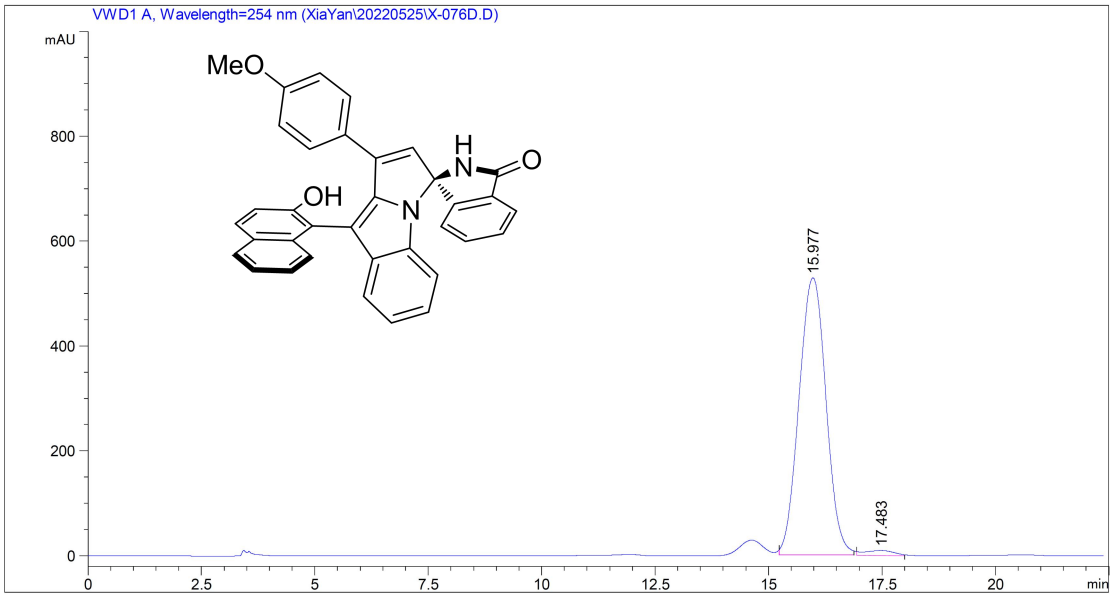


#	Time	Area	Height	Width	Symmetry	Area %
1	9.849	23053.8	750.1	0.4756	0.985	97.333
2	11.379	631.7	17.2	0.5593	0.998	2.667

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(4-methoxyphenyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3fa)

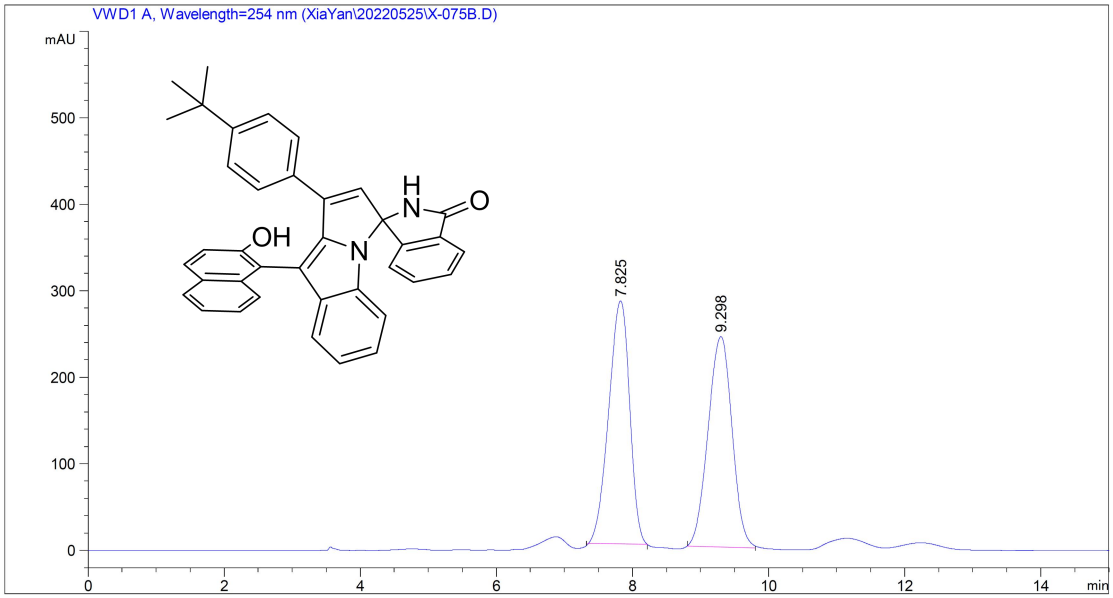


#	Time	Area	Height	Width	Symmetry	Area %
1	16.774	23093.8	571.6	0.6373	0.974	51.148
2	18.318	22057.3	509.9	0.6797	0.996	48.852

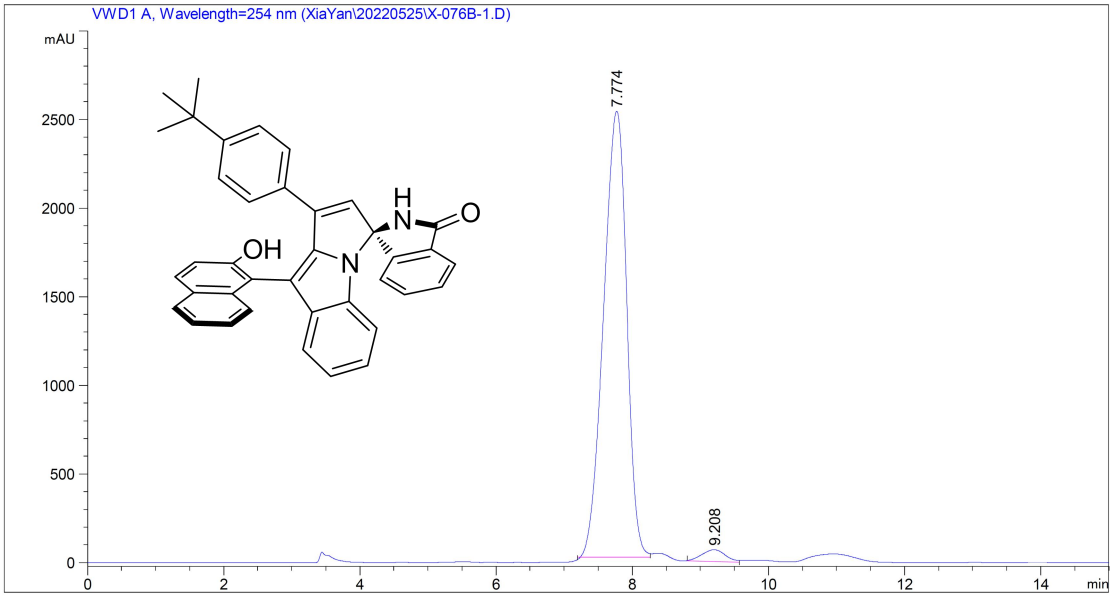


#	Time	Area	Height	Width	Symmetry	Area %
1	15.977	21836.8	528.3	0.6889	1.015	97.985
2	17.483	449.1	9.8	0.7642	1.215	2.015

(*R*)-1'-(4-(*tert*-butyl)phenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1, 3'-pyrrolo[1,2-a]indol]-3-one (3ga)

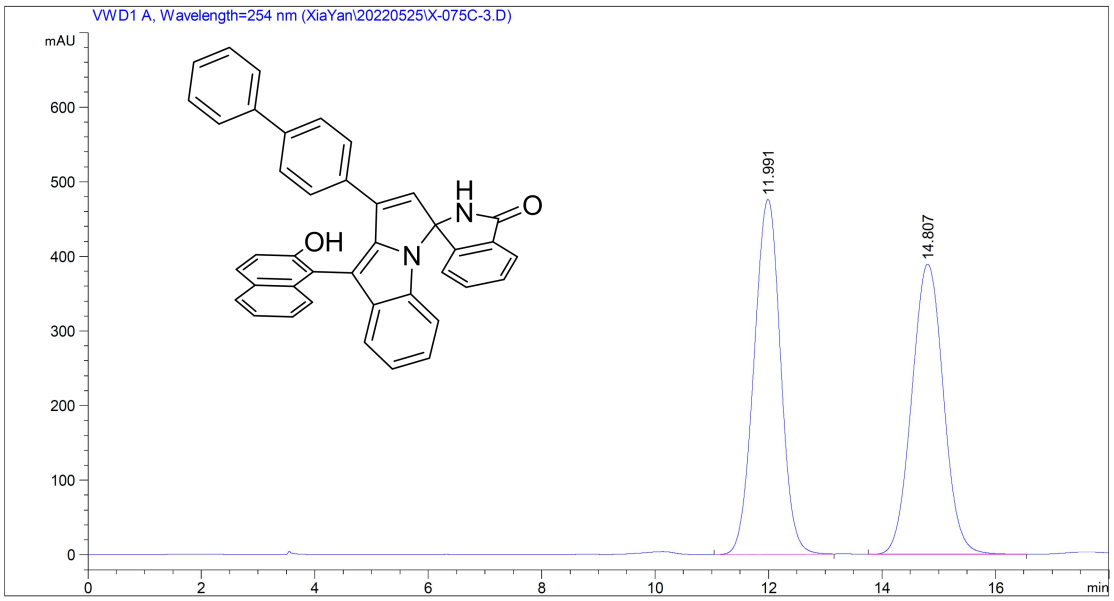


#	Time	Area	Height	Width	Symmetry	Area %
1	7.825	6013.2	281	0.3566	1.263	50.262
2	9.298	5950.4	243.3	0.4075	1.095	49.738

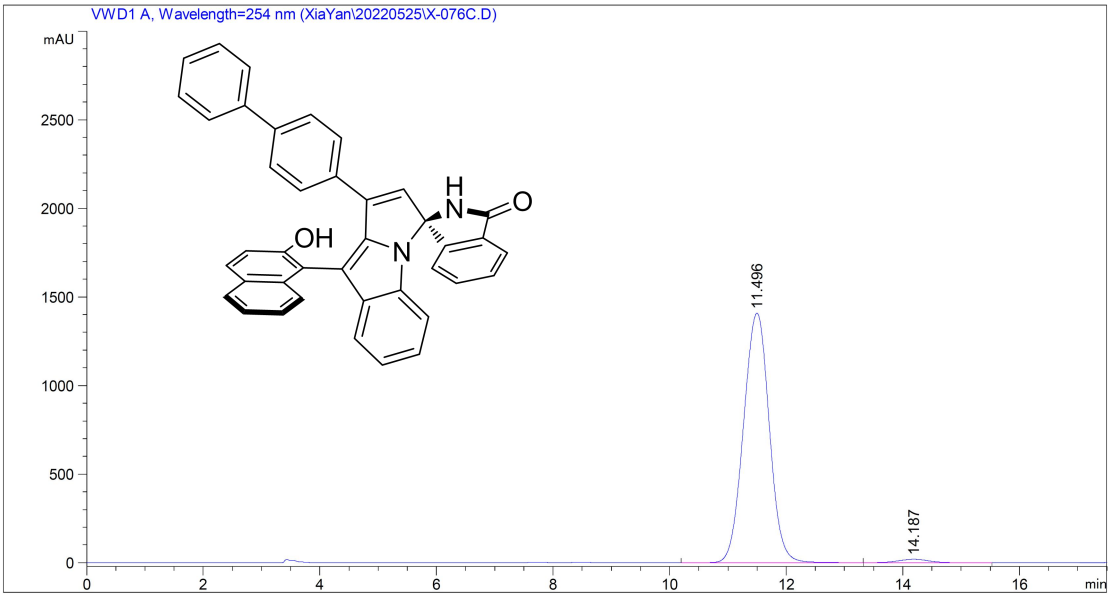


#	Time	Area	Height	Width	Symmetry	Area %
1	7.774	59291.9	2516.2	0.3927	1.272	97.307
2	9.208	1640.9	67.6	0.4045	1.033	2.693

(*R*)-1'-([1,1'-biphenyl]-4-yl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1, 3'-pyrrolo[1,2-a]indol]-3-one (3ha)

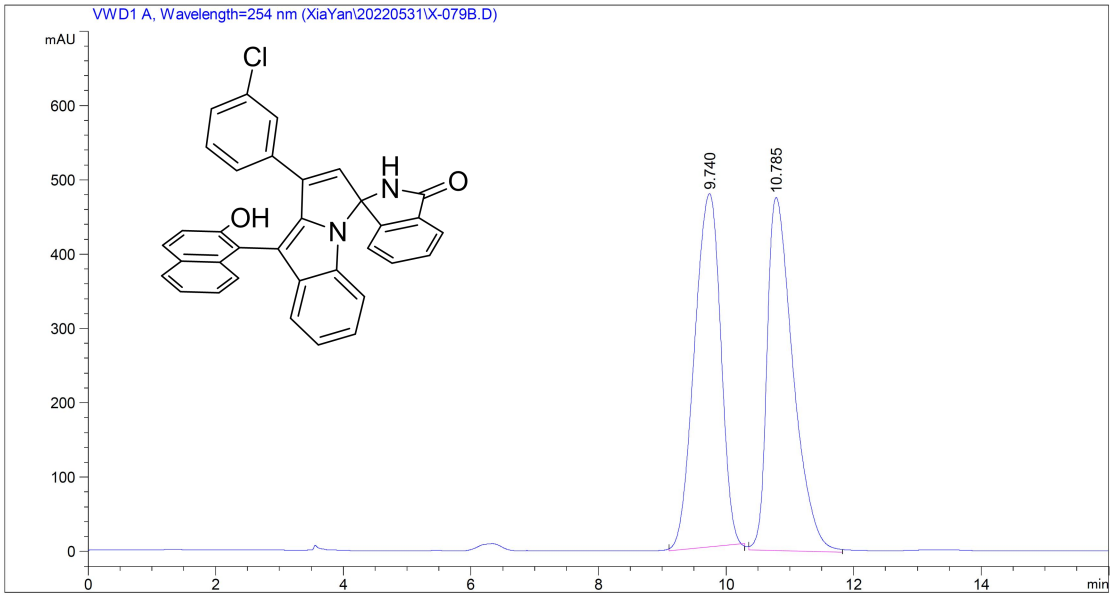


#	Time	Area	Height	Width	Symmetry	Area %
1	11.991	15421.7	475.7	0.5082	1.06	50.571
2	14.807	15073.3	388.9	0.6092	0.968	49.429

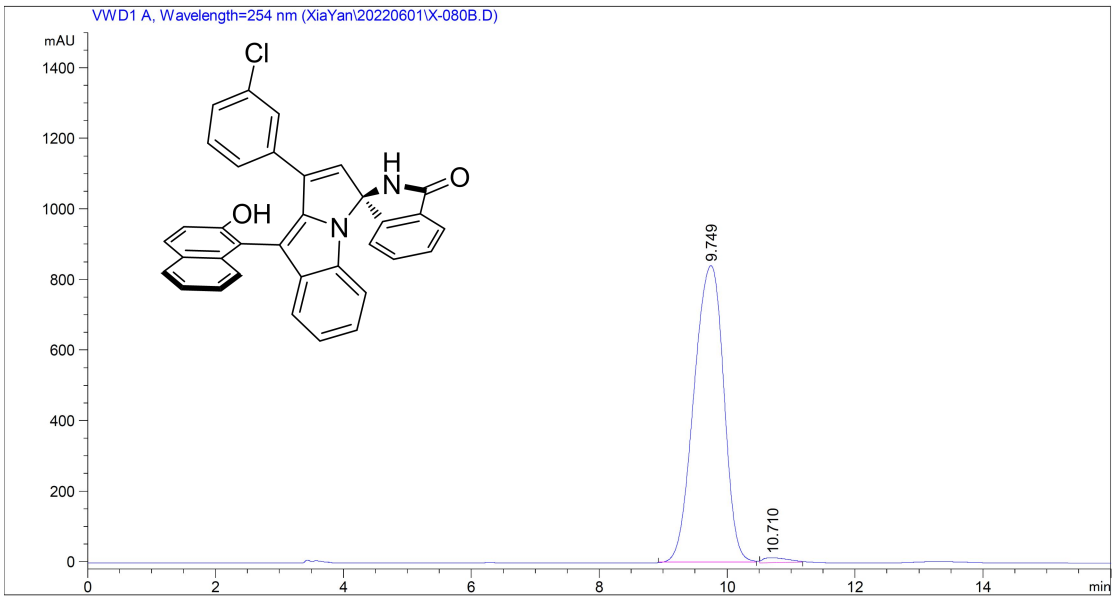


#	Time	Area	Height	Width	Symmetry	Area %
1	11.496	43168.4	1408.8	0.4845	1.037	98.428
2	14.187	689.3	19.2	0.5577	0.994	1.572

(*R*)-1'-(3-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ia)

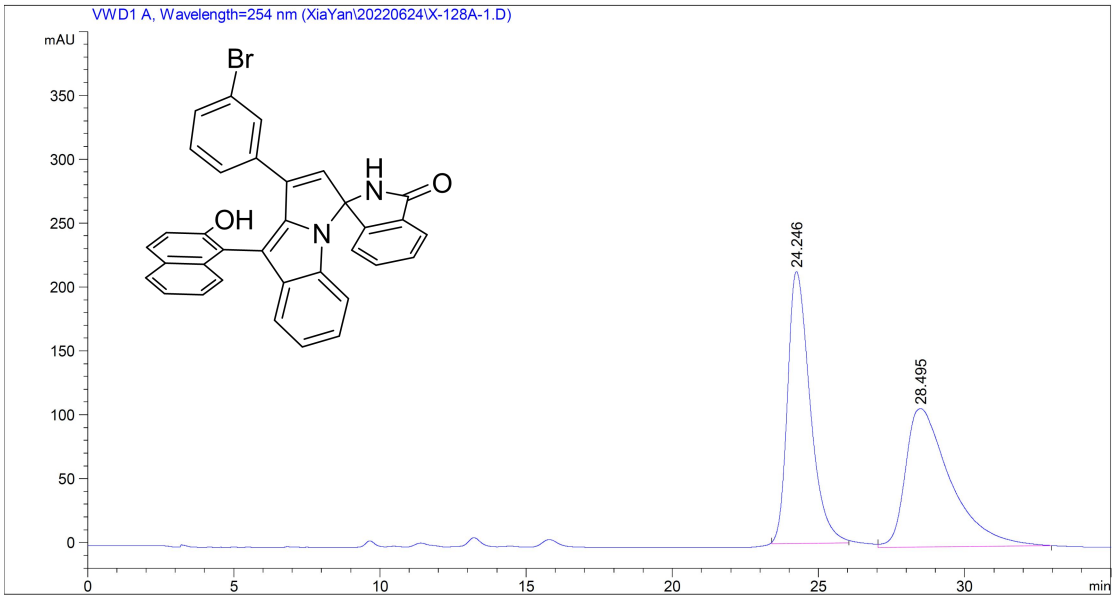


#	Time	Area	Height	Width	Symmetry	Area %
1	9.74	13583.2	475.3	0.4763	1.283	50.251
2	10.785	13447.3	475.1	0.4718	0.515	49.749

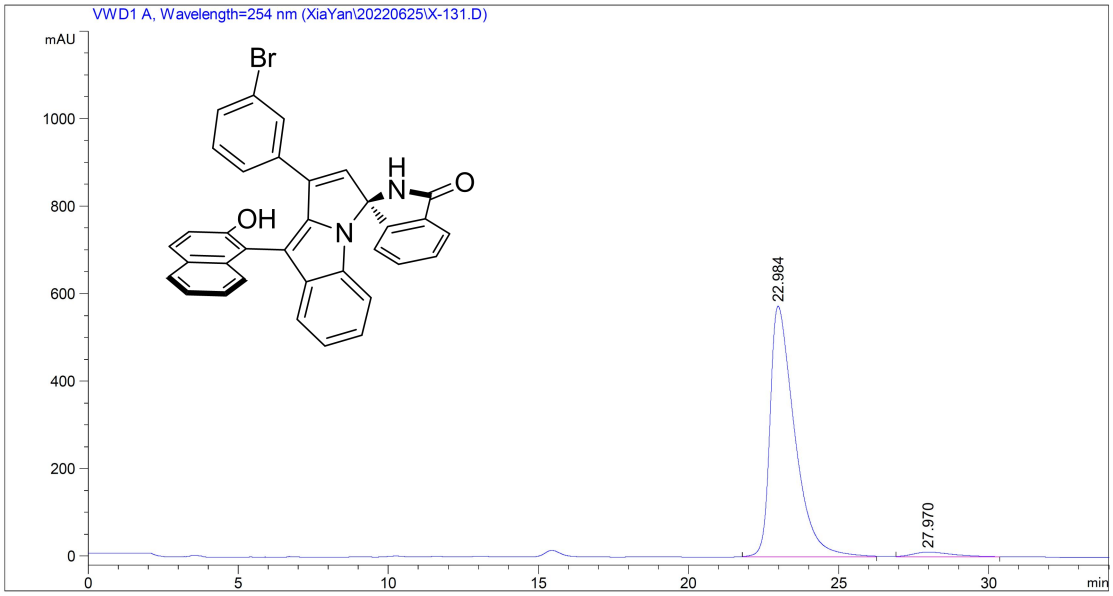


#	Time	Area	Height	Width	Symmetry	Area %
1	9.749	27178.9	840.2	0.5391	1.256	98.593
2	10.71	388	14.1	0.4572	0.606	1.407

(*R*)-1'-(3-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ja)

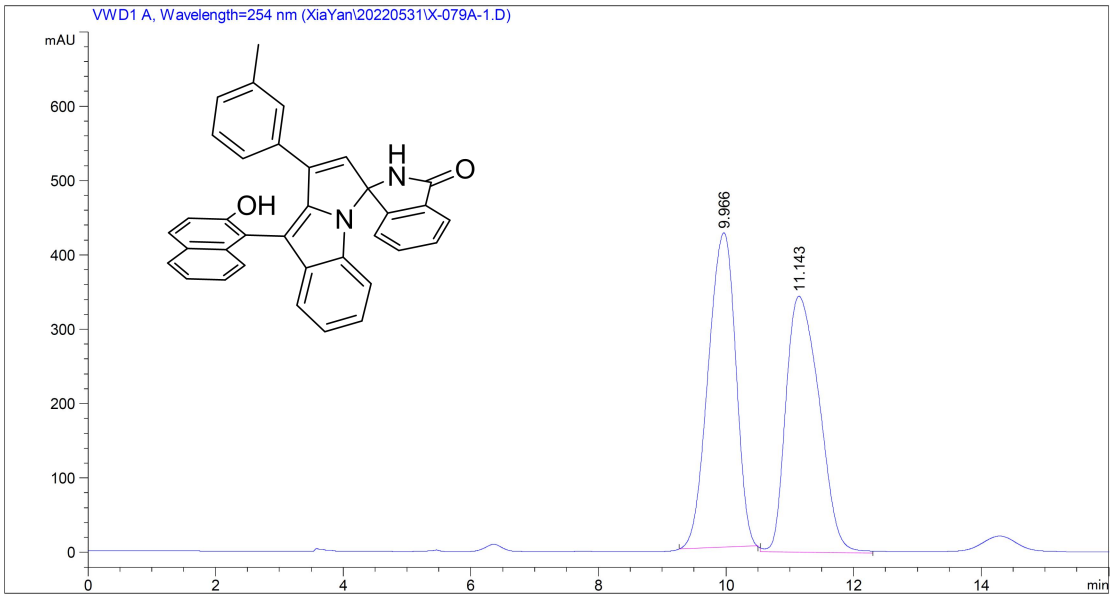


#	Time	Area	Height	Width	Symmetry	Area %
1	24.246	11390.8	212.7	0.8925	0.628	49.536
2	28.495	11604.3	108.4	1.7838	0.496	50.464

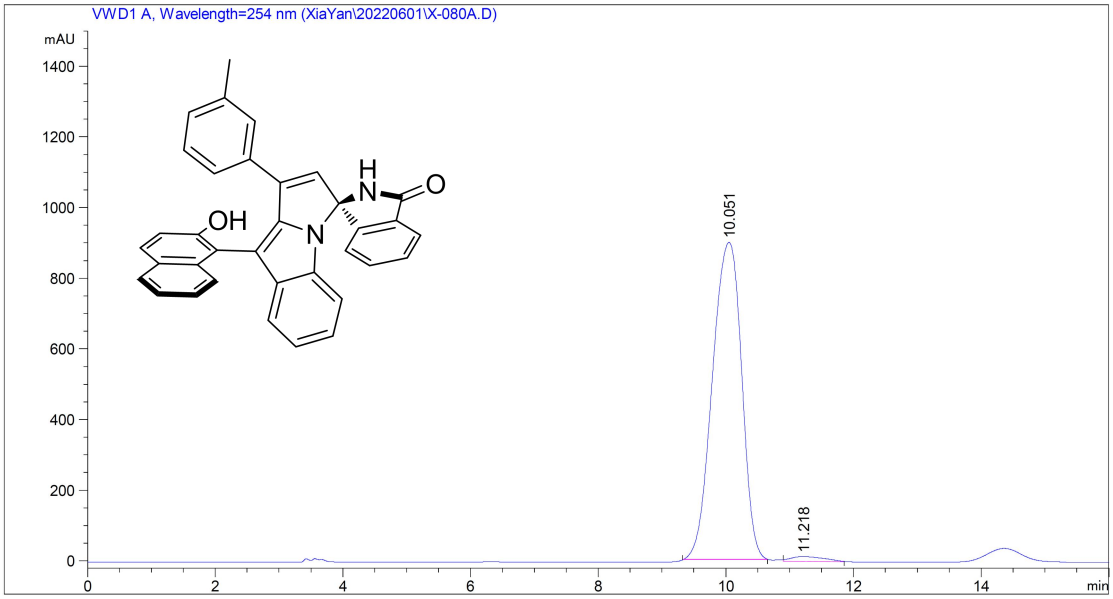


#	Time	Area	Height	Width	Symmetry	Area %
1	22.984	32591.9	572.7	0.9382	0	97.114
2	27.97	968.7	10.5	1.5306	0.567	2.886

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(*m*-tolyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ka)

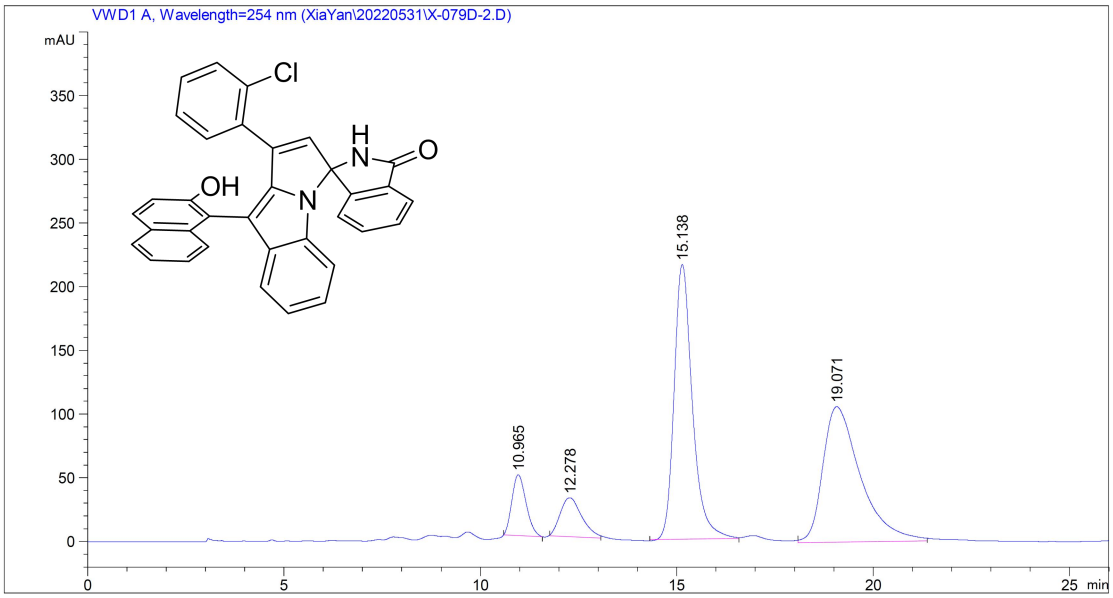


#	Time	Area	Height	Width	Symmetry	Area %
1	9.966	12667.1	422.8	0.4993	1.266	50.346
2	11.143	12492.7	344.3	0.6048	0.63	49.654

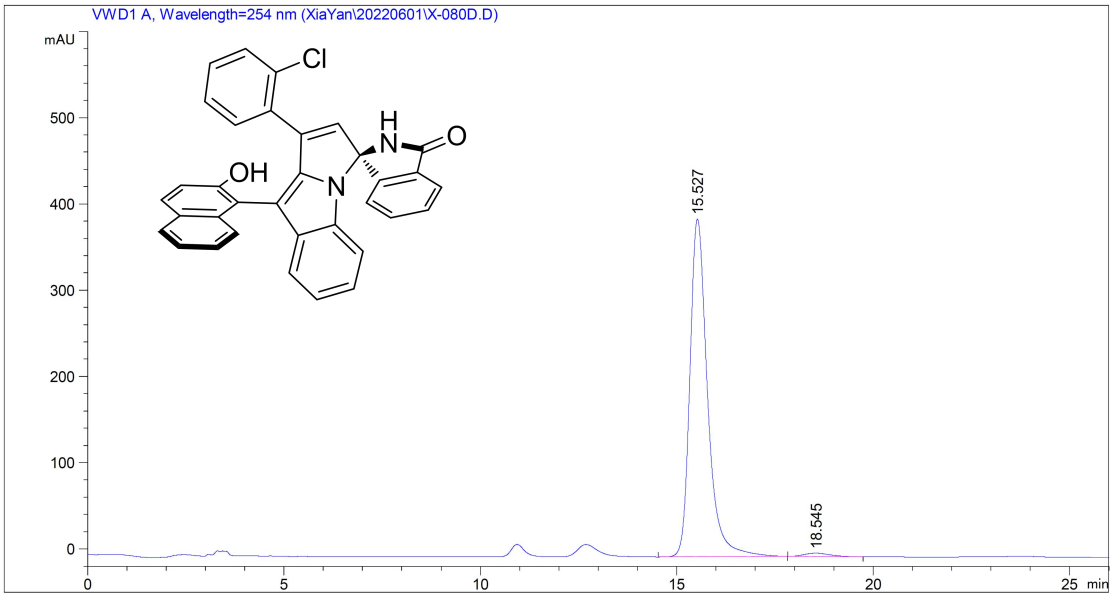


#	Time	Area	Height	Width	Symmetry	Area %
1	10.051	28544.6	897.8	0.5299	1.26	98.320
2	11.218	487.8	13.5	0.6012	0.594	1.680

(*R*)-1'-(2-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3la)

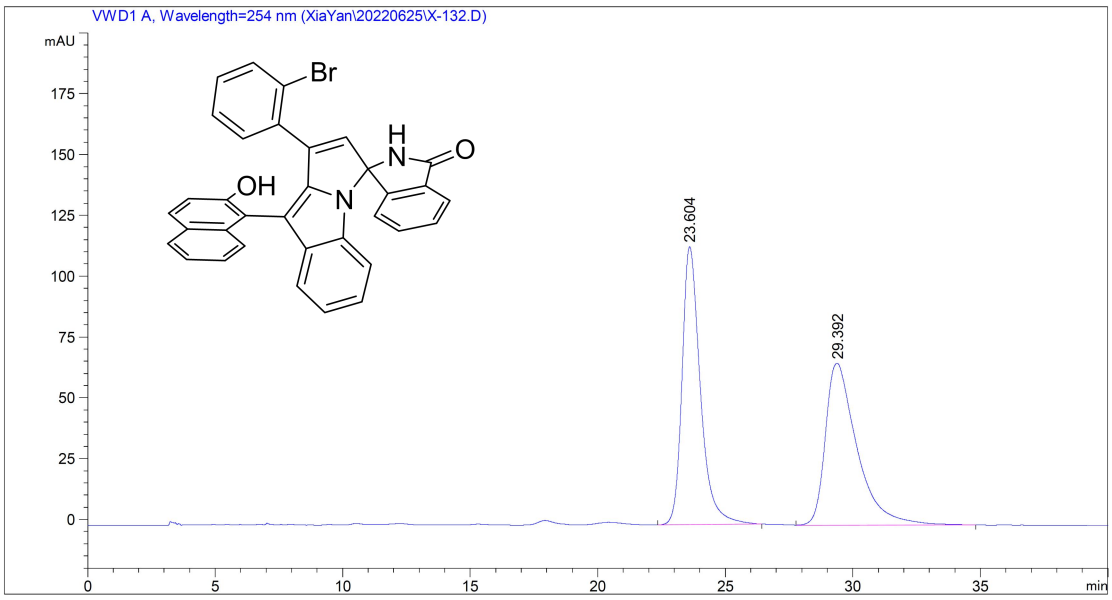


#	Time	Area	Height	Width	Symmetry	Area %
1	10.965	1195.1	47.8	0.4171	0.781	7.260
2	12.278	1167.3	30.5	0.6377	0.761	7.091
3	15.138	6993.9	215.7	0.5405	0.755	42.489
4	19.071	7104.3	106.5	1.1119	0.568	43.159

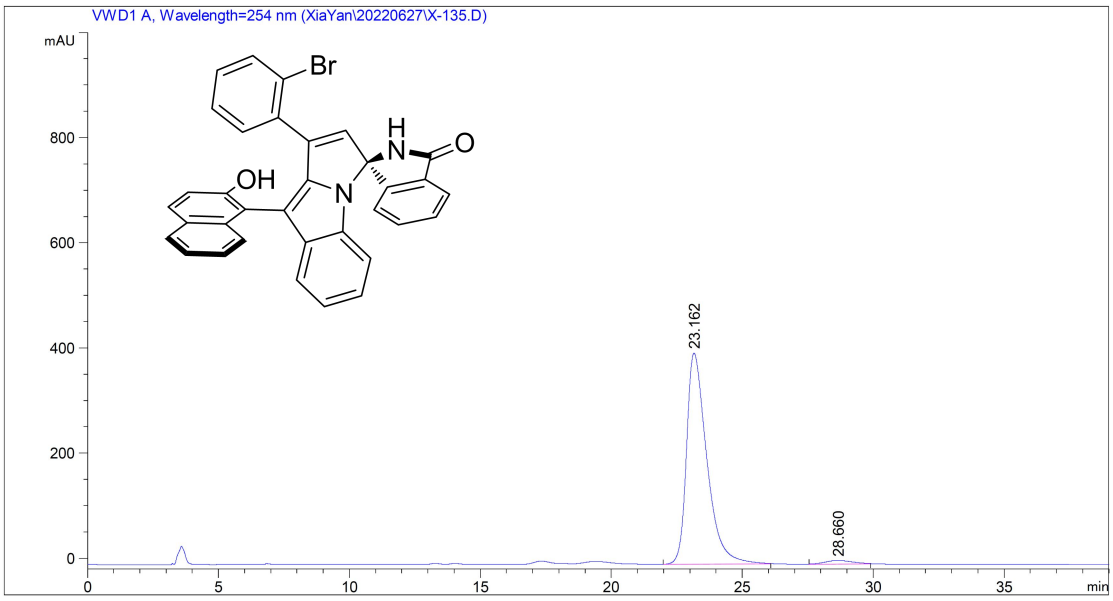


#	Time	Area	Height	Width	Symmetry	Area %
1	15.527	12203.3	391.6	0.4716	0.691	98.564
2	18.545	177.7	3.9	0.6913	0.77	1.436

(*R*)-1'-(2-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ma)

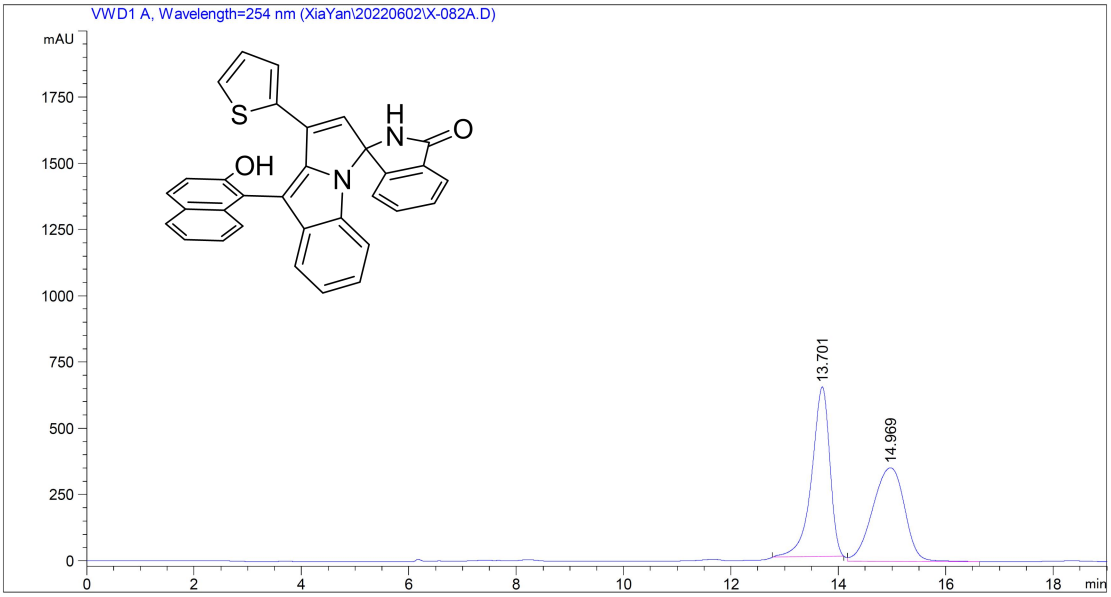


#	Time	Area	Height	Width	Symmetry	Area %
1	23.604	5698.3	114.2	0.7669	0.655	49.853
2	29.392	5731.9	66.5	1.2757	0.547	50.147

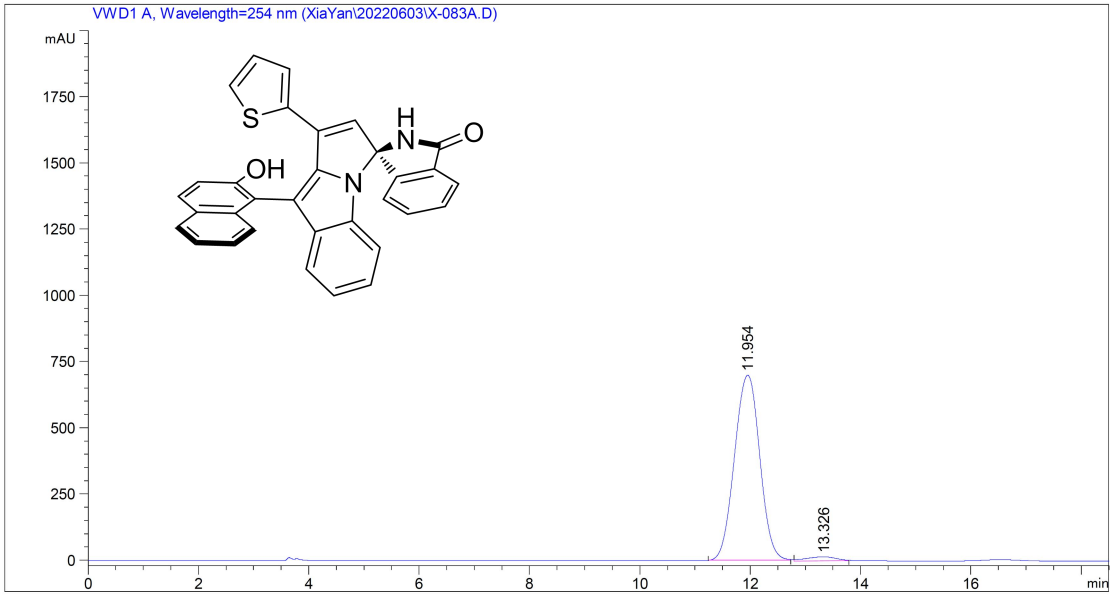


#	Time	Area	Height	Width	Symmetry	Area %
1	23.162	21684.7	401.5	0.9001	0.569	97.633
2	28.66	525.8	7.1	1.2343	0.928	2.367

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(thiophen-2-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3na)

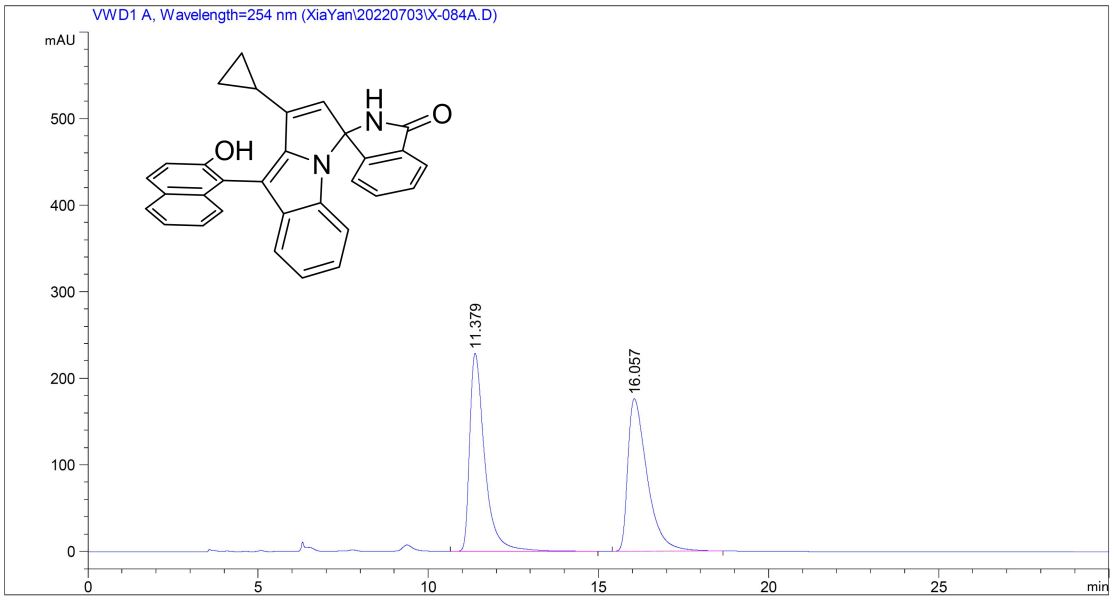


#	Time	Area	Height	Width	Symmetry	Area %
1	13.701	14920.9	639.3	0.389	1.38	50.637
2	14.969	14545.8	352.9	0.6598	1.209	49.363

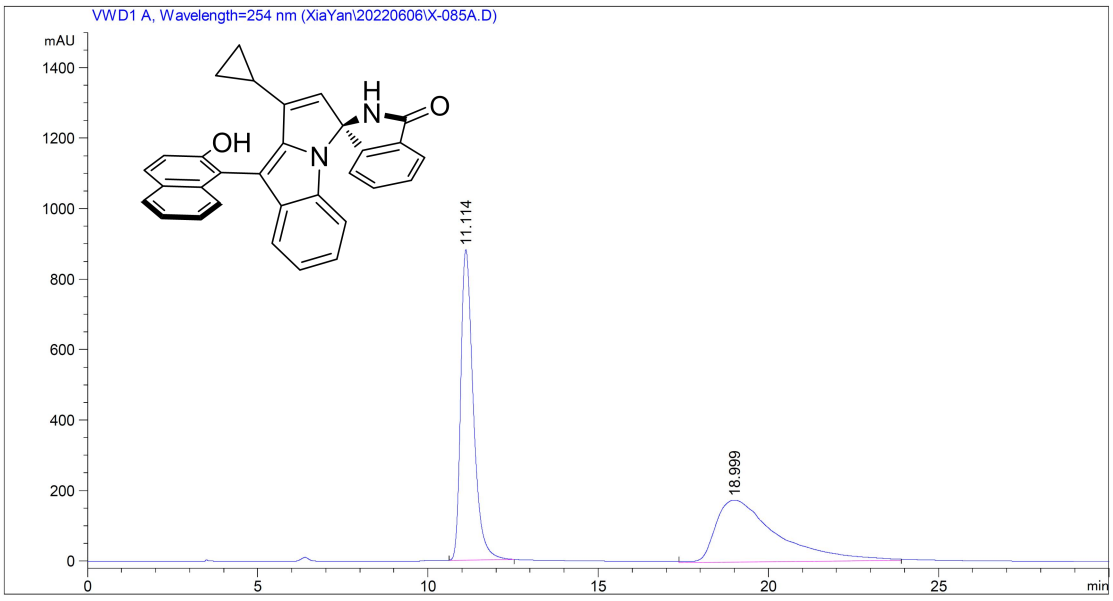


#	Time	Area	Height	Width	Symmetry	Area %
1	11.954	22150.2	697.6	0.5292	1.062	97.560
2	13.326	553.9	14.8	0.6254	1.443	2.440

(*R*)-1'-cyclopropyl-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3oa)

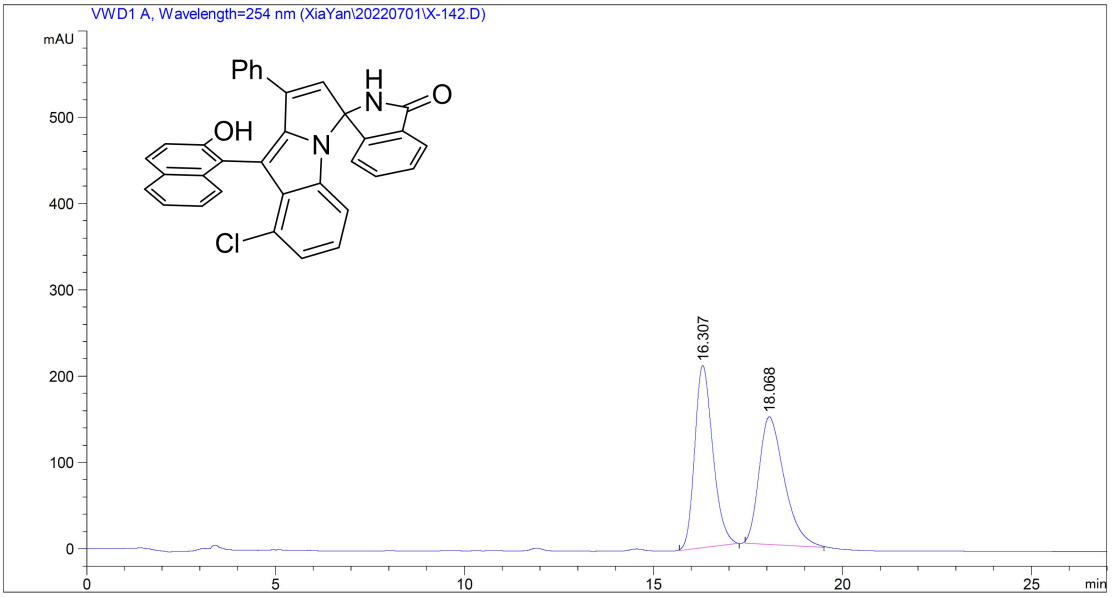


#	Time	Area	Height	Width	Symmetry	Area %
1	11.379	7025.5	228.8	0.4612	0.515	50.256
2	16.057	6953.9	176.1	0.5996	0.464	49.744

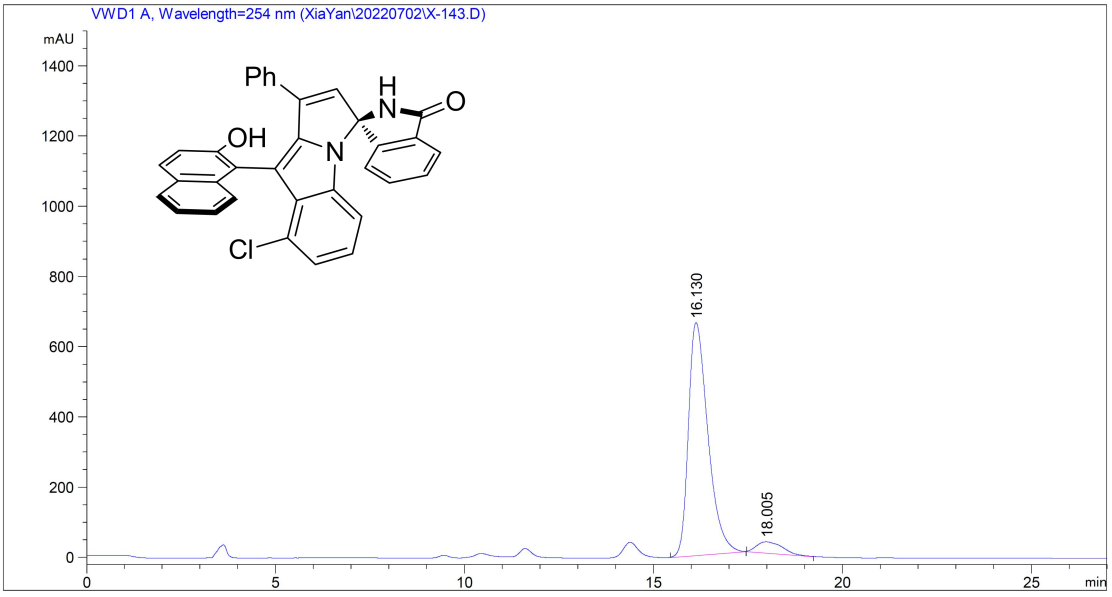


#	Time	Area	Height	Width	Symmetry	Area %
1	11.114	22554.9	880.8	0.4268	0.653	50.559
2	18.999	22056	175.6	2.0934	0.416	49.441

(*R*)-8'-chloro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ab)

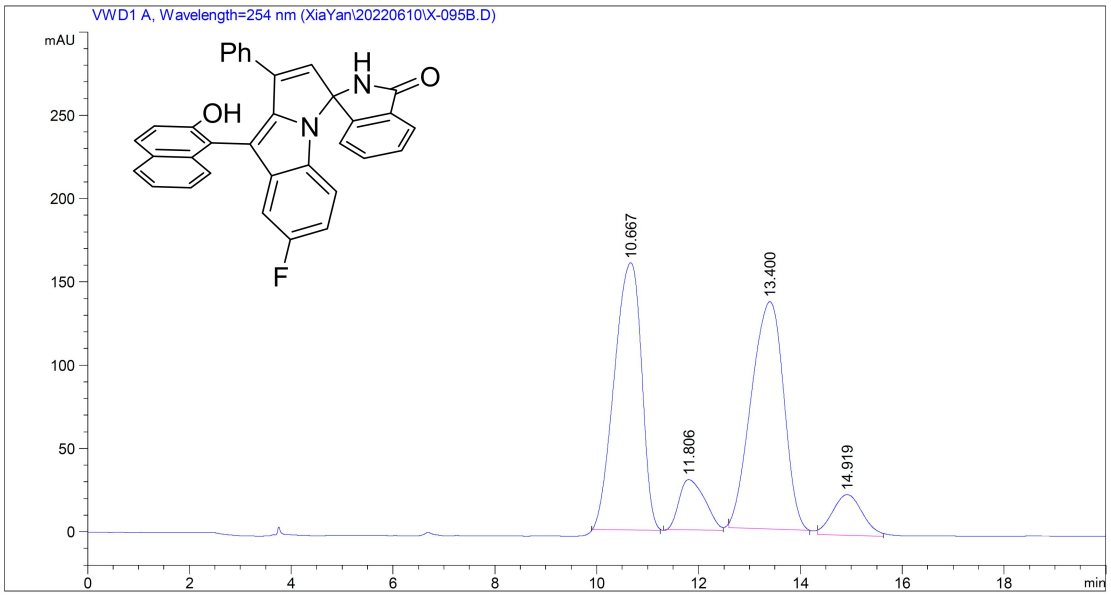


#	Time	Area	Height	Width	Symmetry	Area %
1	16.307	7033.7	211	0.5555	0.773	50.599
2	18.068	6867	147.9	0.7739	0.662	49.401

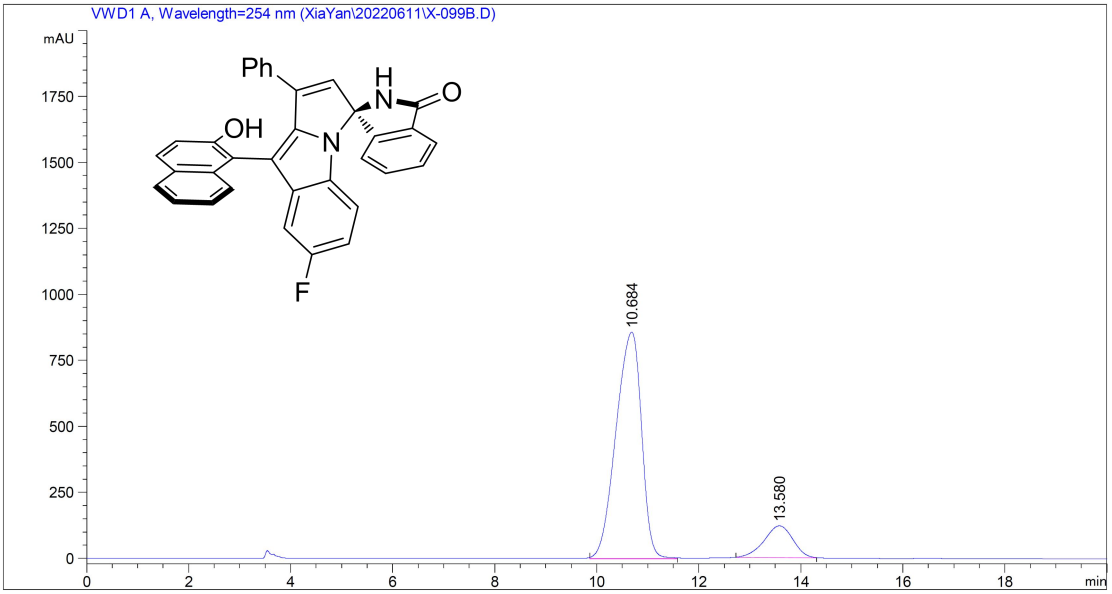


#	Time	Area	Height	Width	Symmetry	Area %
1	16.13	23872.3	663.9	0.5886	0	93.871
2	18.005	1558.7	32.6	0.798	0.556	6.129

(*R*)-7'-fluoro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ac)

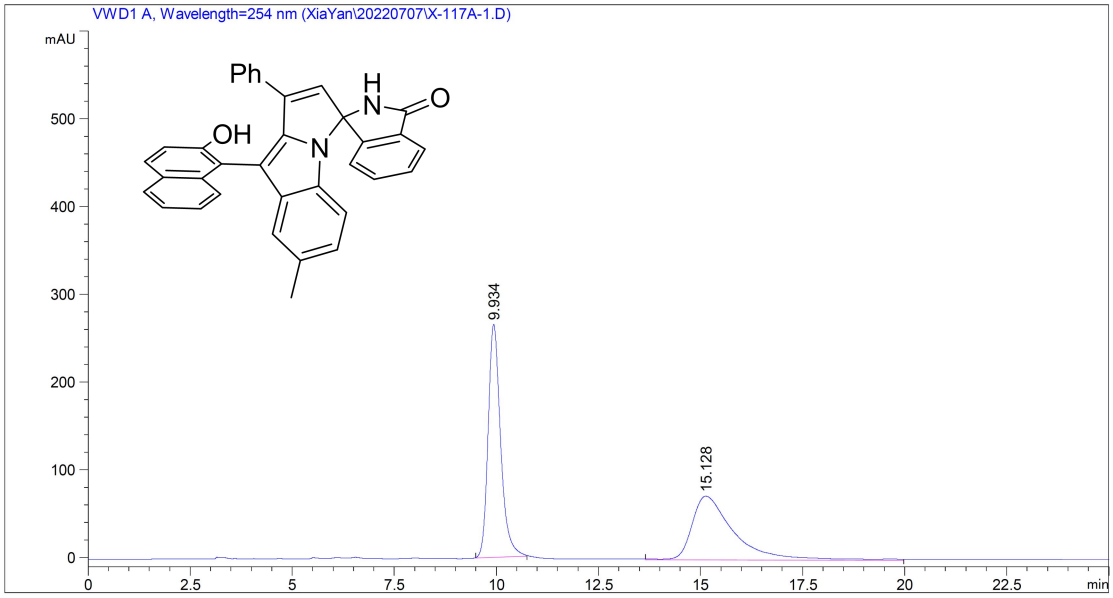


#	Time	Area	Height	Width	Symmetry	Area %
1	10.667	5956.8	160.4	0.619	1.44	42.384
2	11.806	1056	30.2	0.5834	0.581	7.514
3	13.4	6048.6	136.4	0.739	1.282	43.037
4	14.919	993.1	24.3	0.6805	0.922	7.066

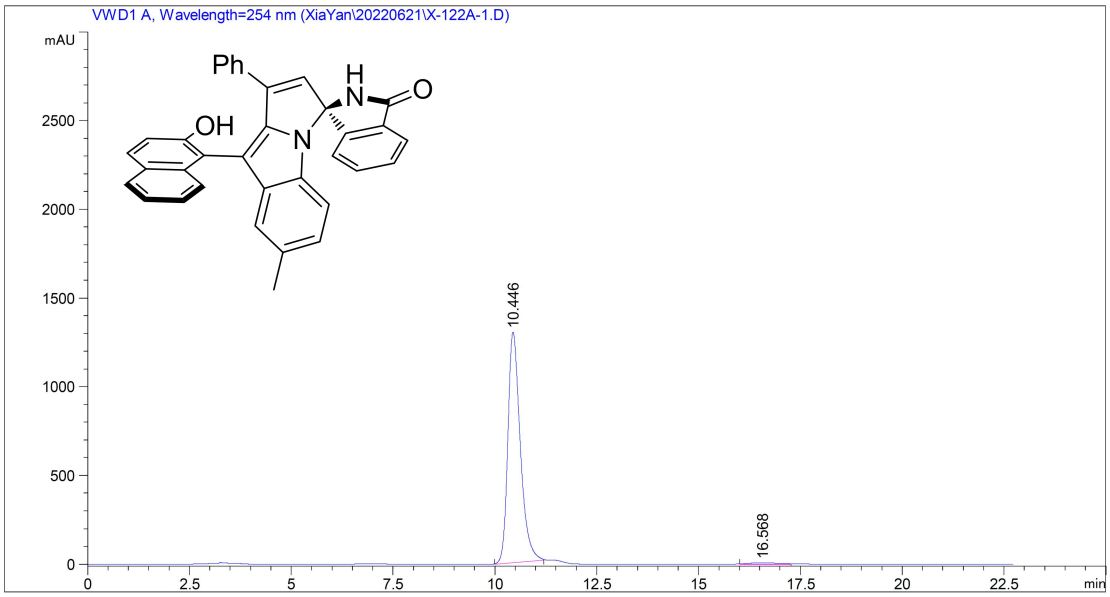


#	Time	Area	Height	Width	Symmetry	Area %
1	10.684	29841.5	858.6	0.5793	1.498	86.320
2	13.58	4729.1	120.4	0.6544	1.108	13.680

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-7'-methyl-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ad)

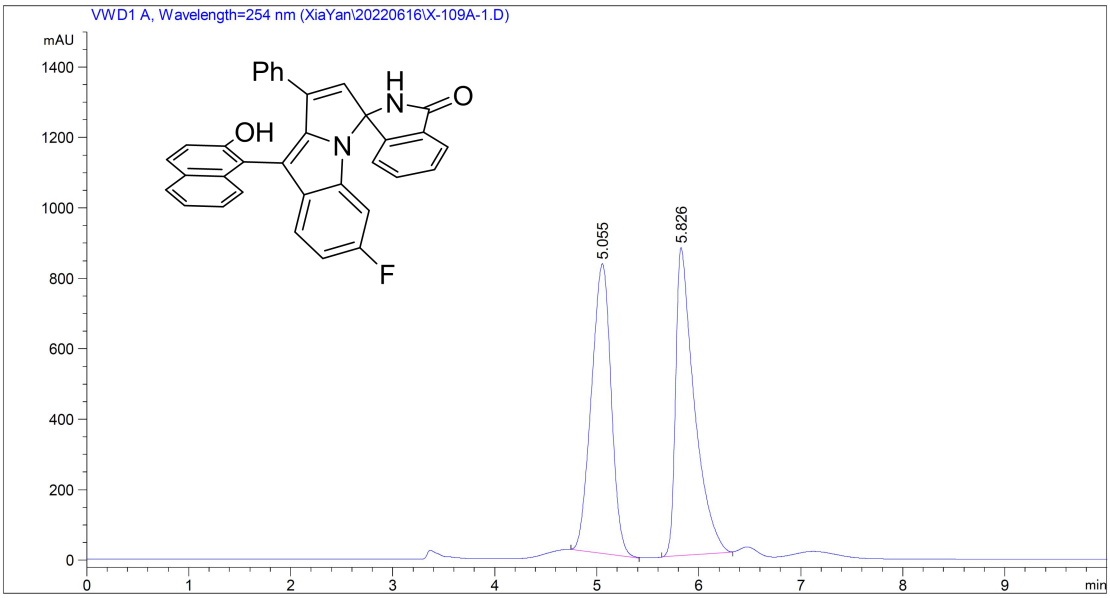


#	Time	Area	Height	Width	Symmetry	Area %
1	9.934	5623.3	265.3	0.3533	0.773	51.624
2	15.128	5269.6	72.6	1.2097	0.469	48.376

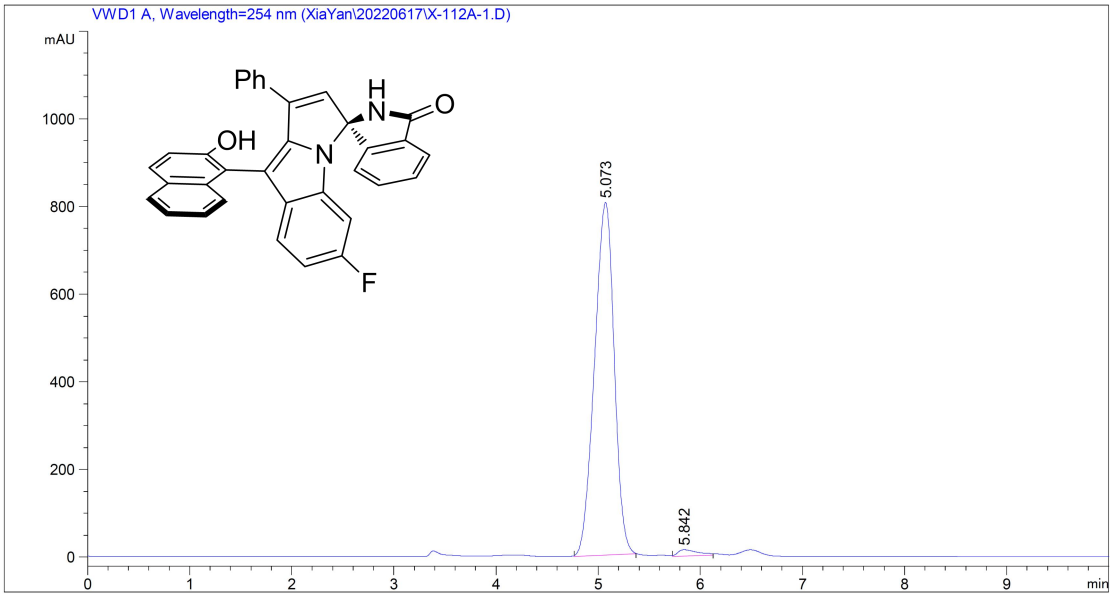


#	Time	Area	Height	Width	Symmetry	Area %
1	10.446	27600.8	1299.8	0.3539	0.688	98.739
2	16.568	352.5	6.5	0.9054	0.772	1.261

(*R*)-6'-fluoro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ae)

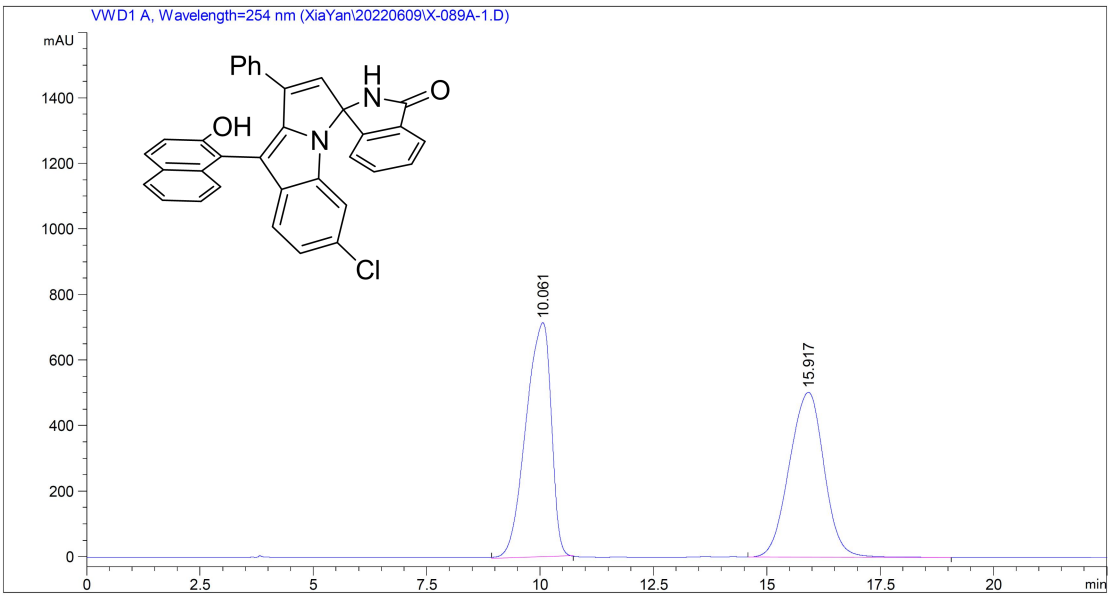


#	Time	Area	Height	Width	Symmetry	Area %
1	5.055	11052.8	822.1	0.2241	1.187	49.790
2	5.826	11145.8	874.5	0.2124	0.396	50.210

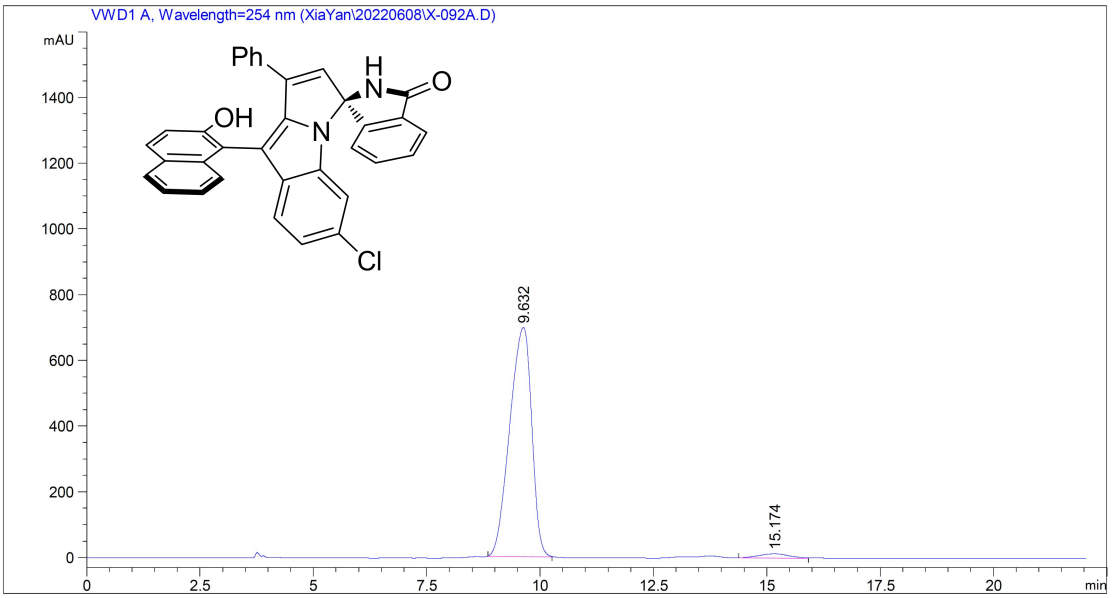


#	Time	Area	Height	Width	Symmetry	Area %
1	5.073	10761.7	804.4	0.2198	0	98.227
2	5.842	194.3	14.4	0.2256	0.444	1.773

(*R*)-6'-chloro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3af)

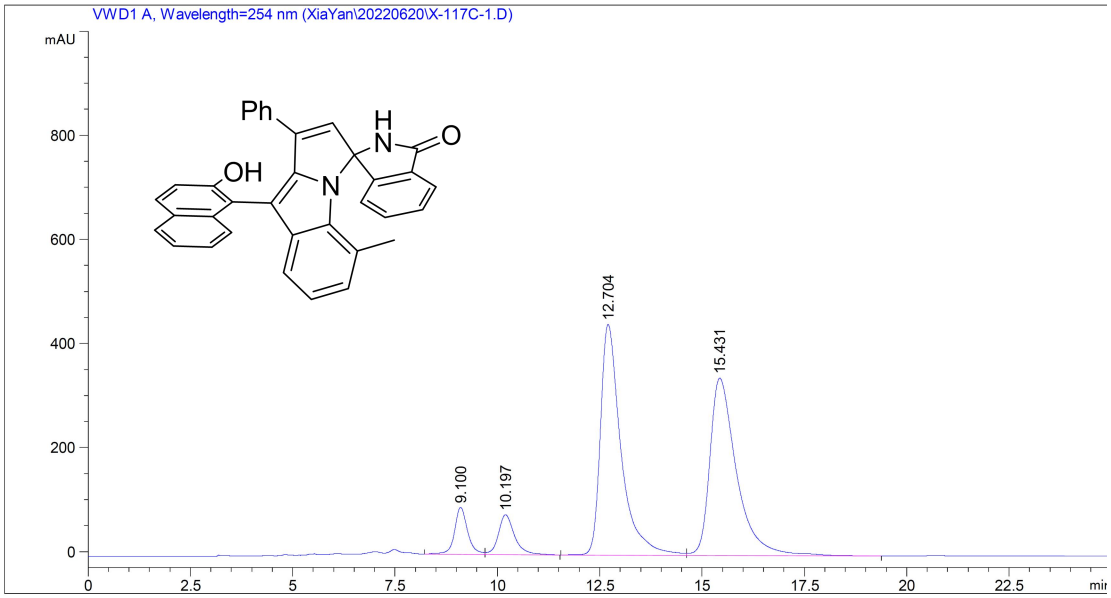


#	Time	Area	Height	Width	Symmetry	Area %
1	10.061	27752.2	714.2	0.6477	1.888	50.903
2	15.917	26767.2	502.8	0.8441	1.143	49.097

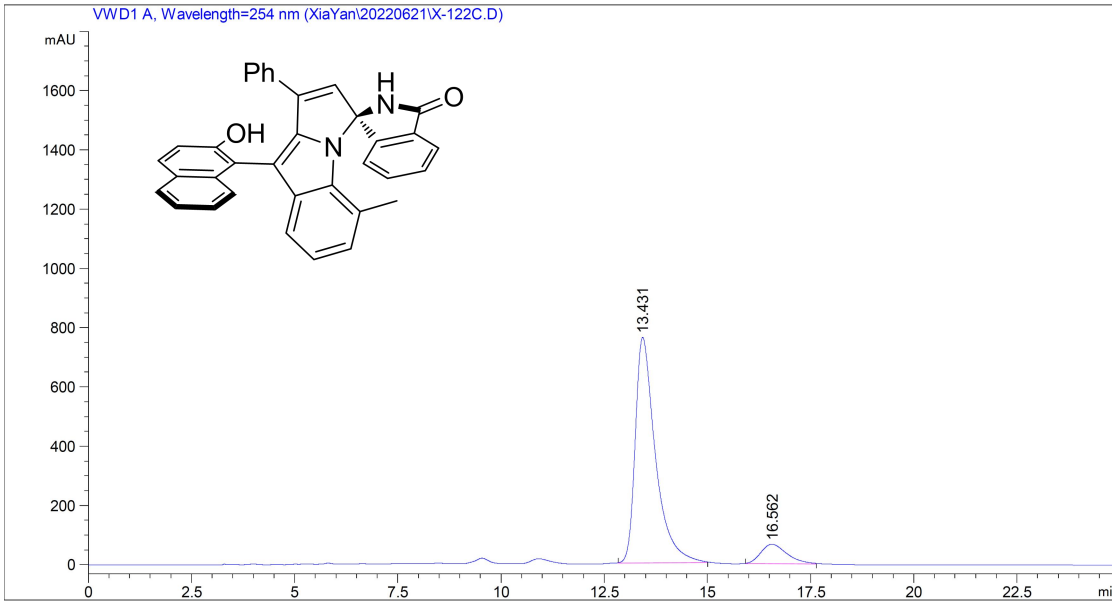


#	Time	Area	Height	Width	Symmetry	Area %
1	9.632	23473.3	697.8	0.5606	1.547	97.503
2	15.174	601.2	13	0.7708	1.055	2.497

(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-5'-methyl-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ag)



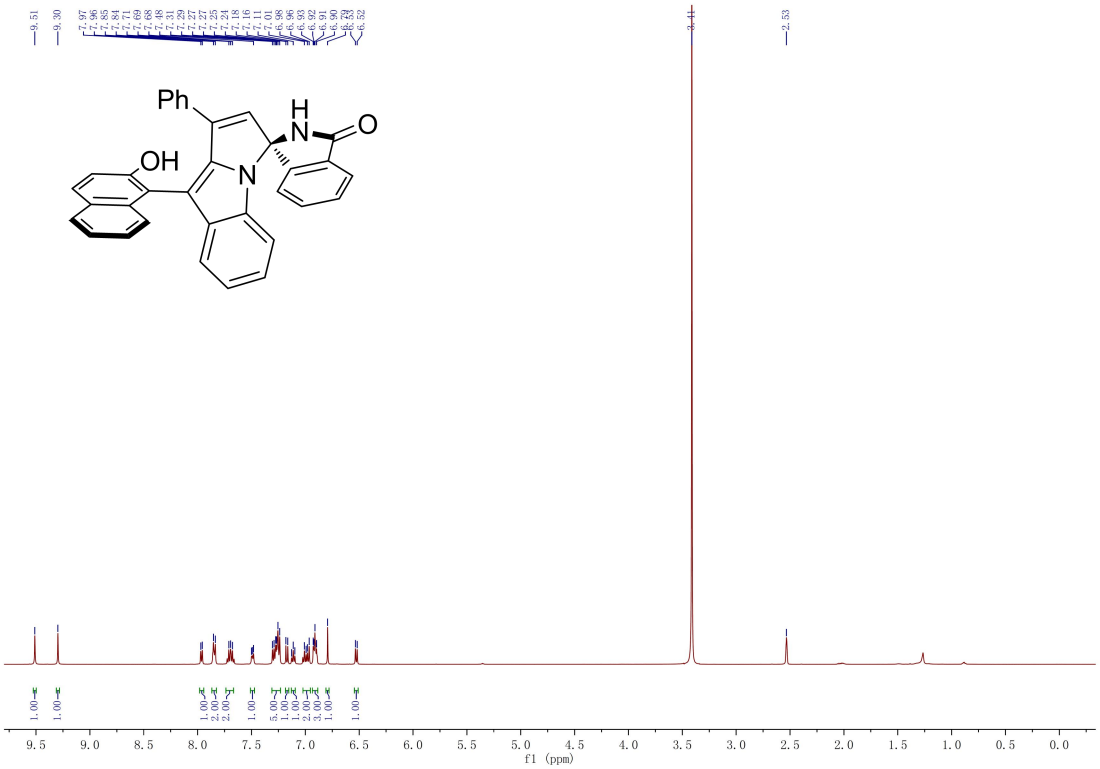
#	Time	Area	Height	Width	Symmetry	Area %
1	9.1	2016.2	90.2	0.3357	0.85	5.750
2	10.197	2104.8	76.7	0.4102	0.741	6.003
3	12.704	15524.9	443.3	0.5176	0.547	44.275
4	15.431	15418.9	340.6	0.6802	0.546	43.973

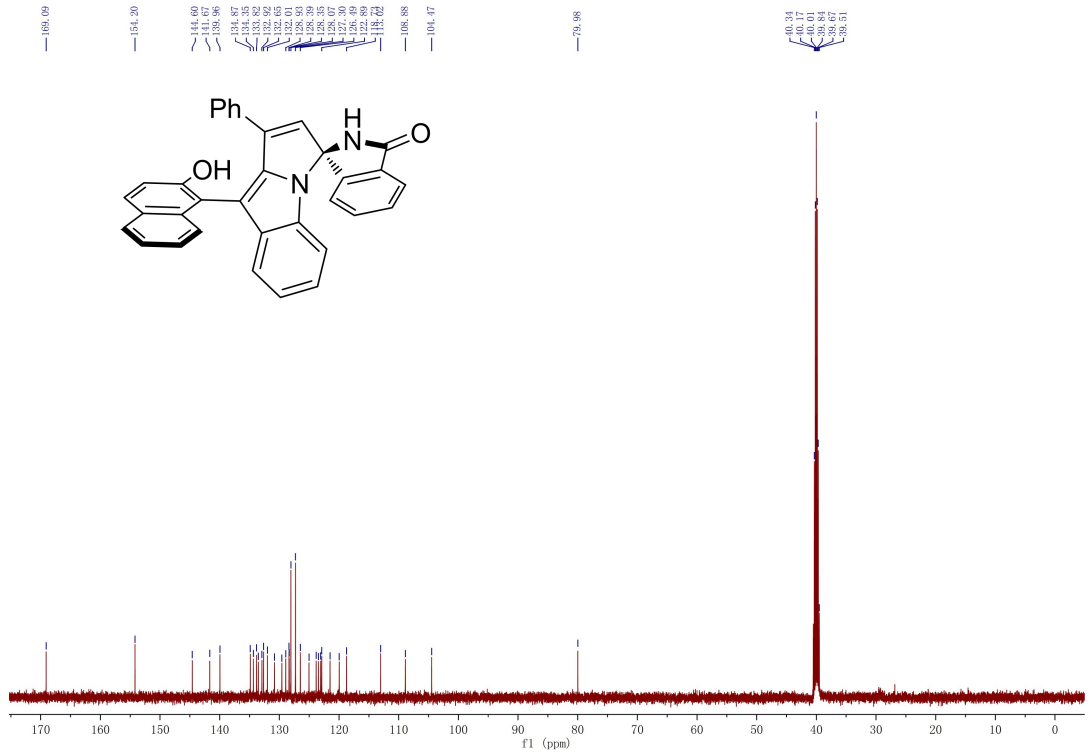


#	Time	Area	Height	Width	Symmetry	Area %
1	13.431	25915.8	761.6	0.5672	0.56	89.747
2	16.562	2960.7	66.3	0.7437	0.708	10.253

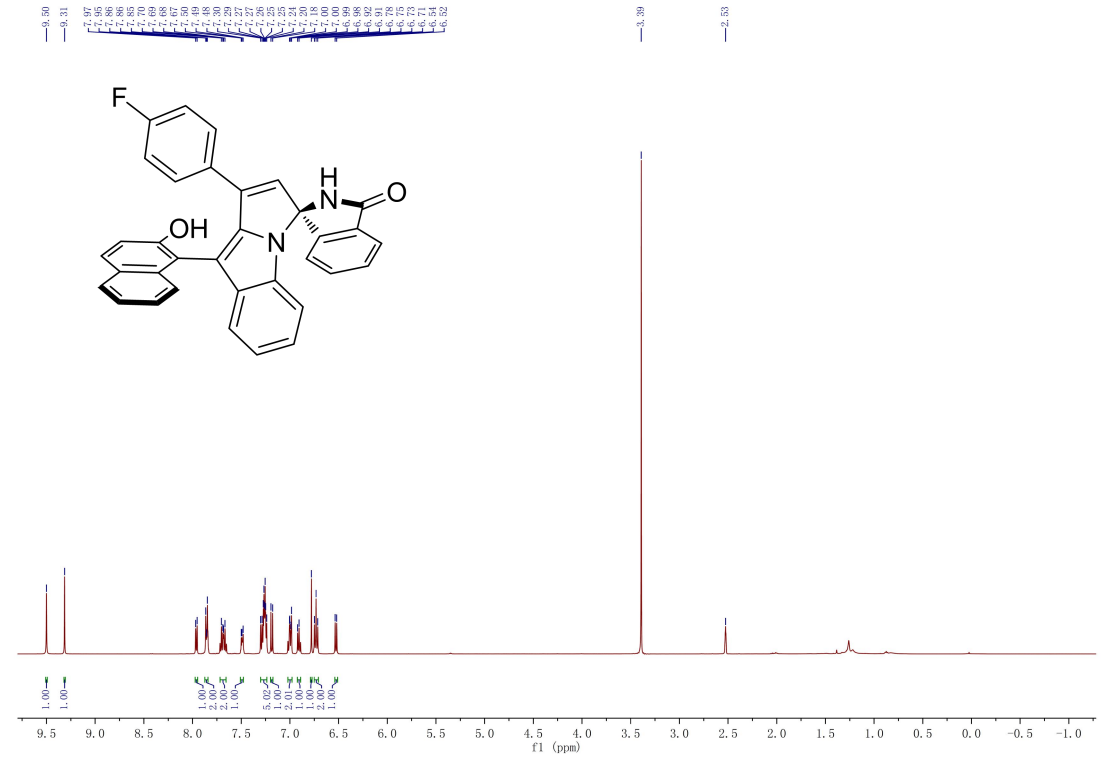
J: NMR Analysis

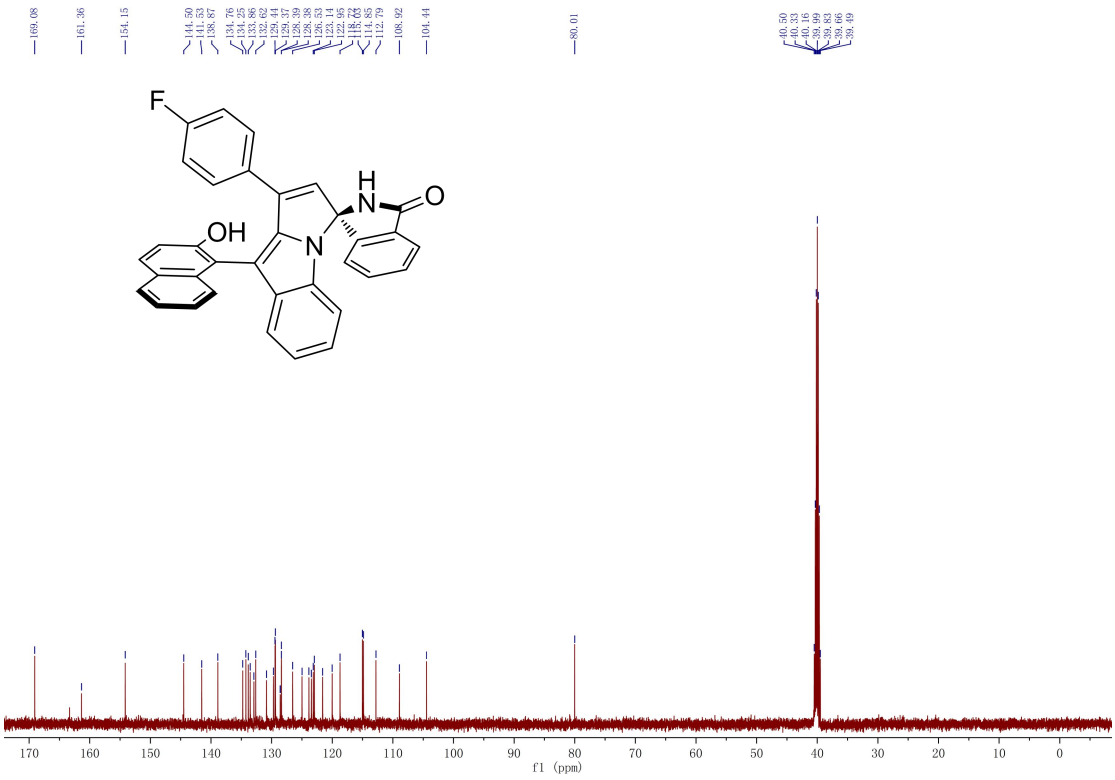
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3aa)



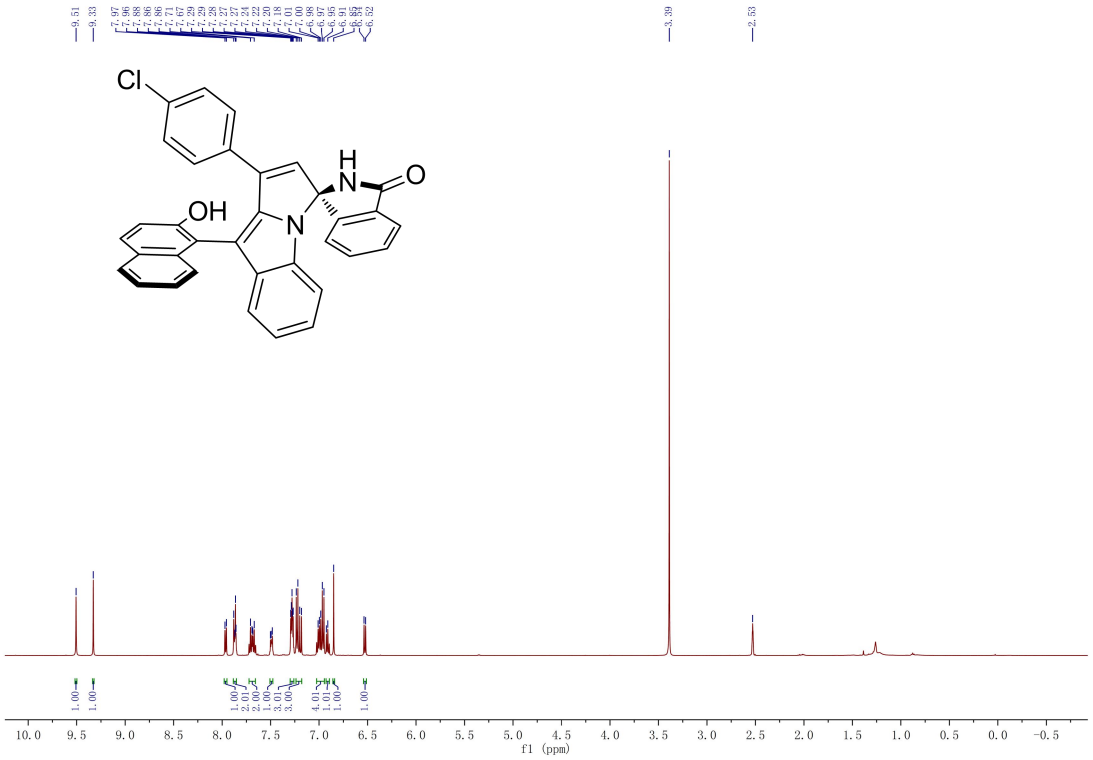


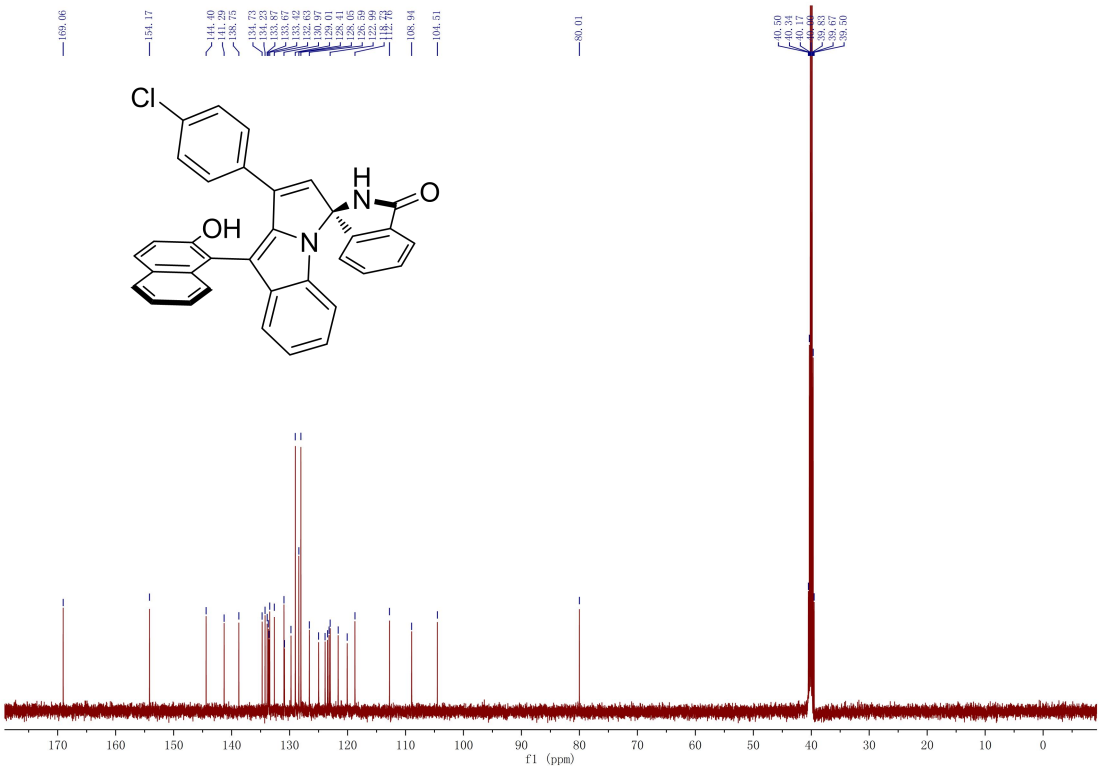
(*R*)-1'-(4-fluorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ba)



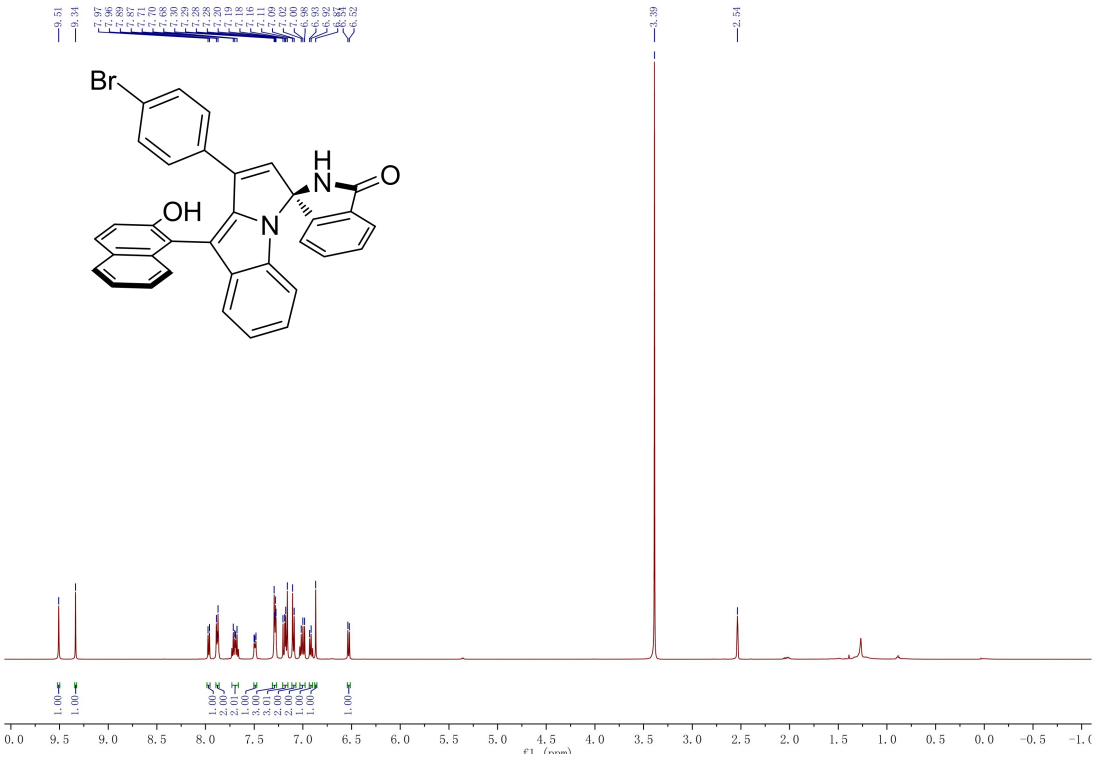


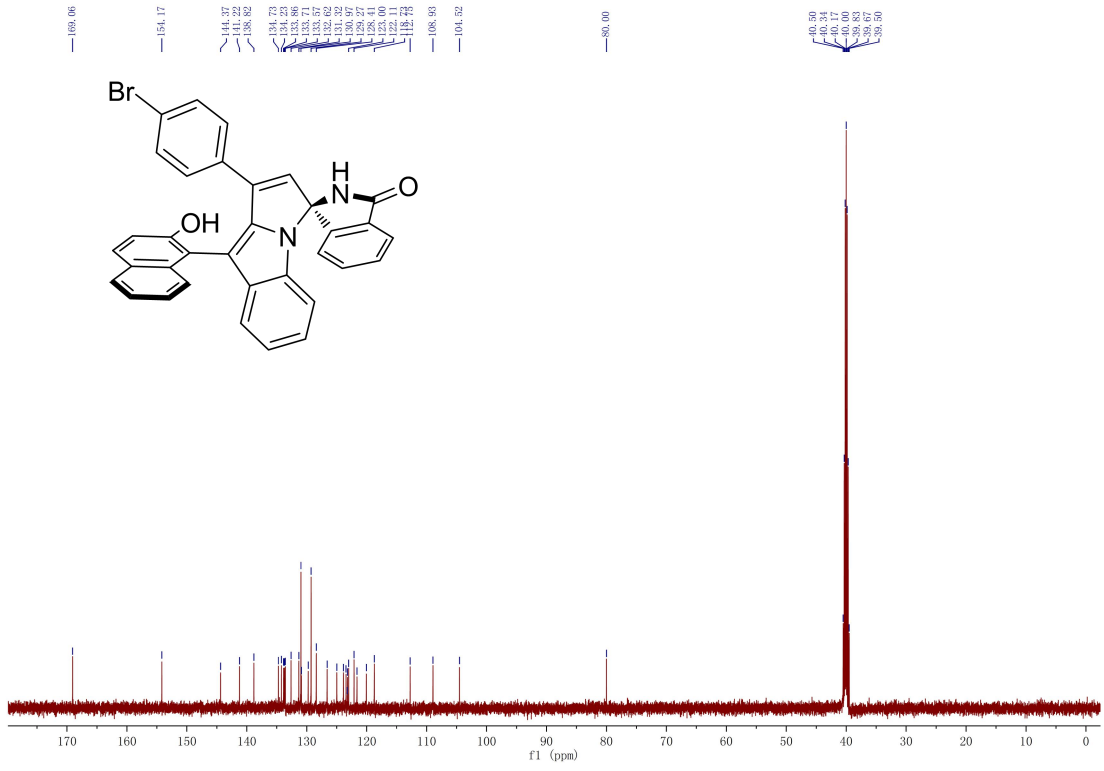
(*R*)-1'-(4-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ca)



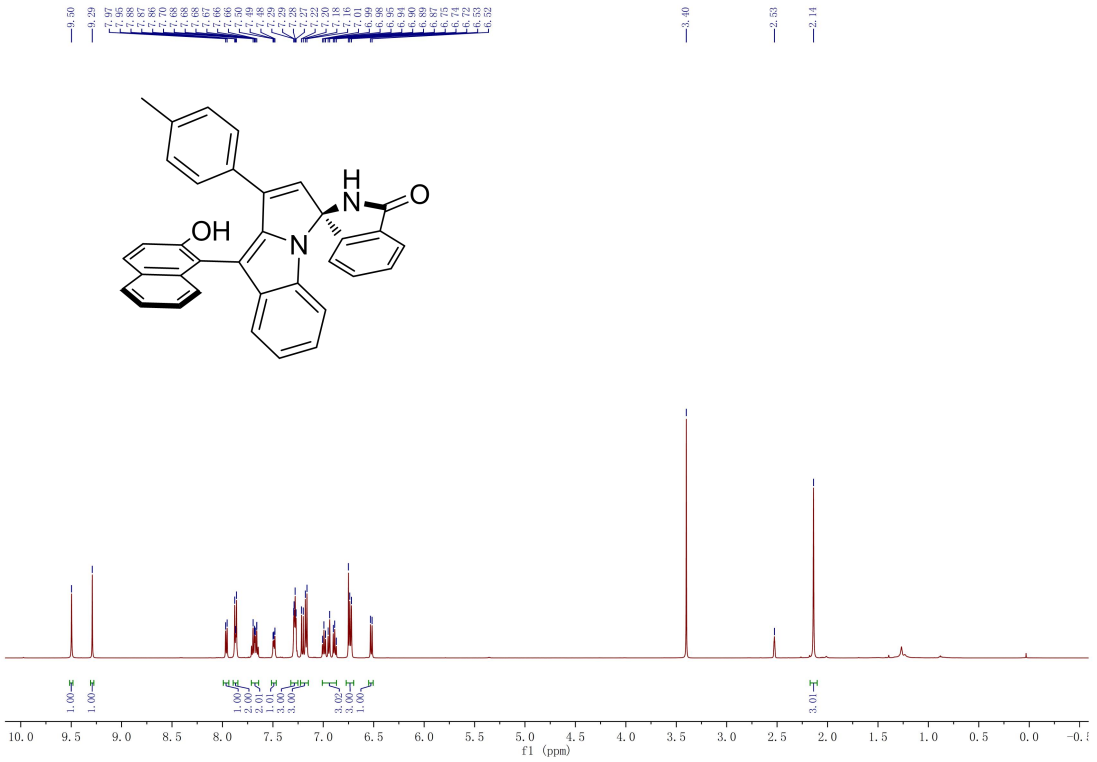


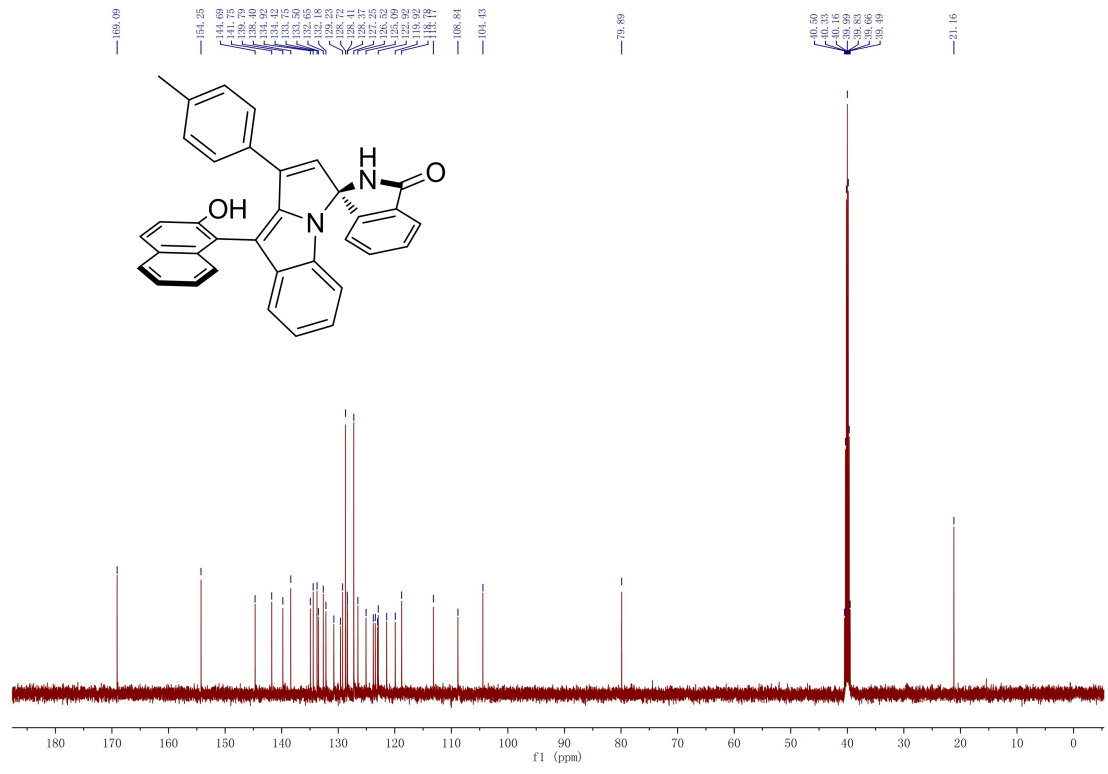
(*R*)-1'-(4-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3da)



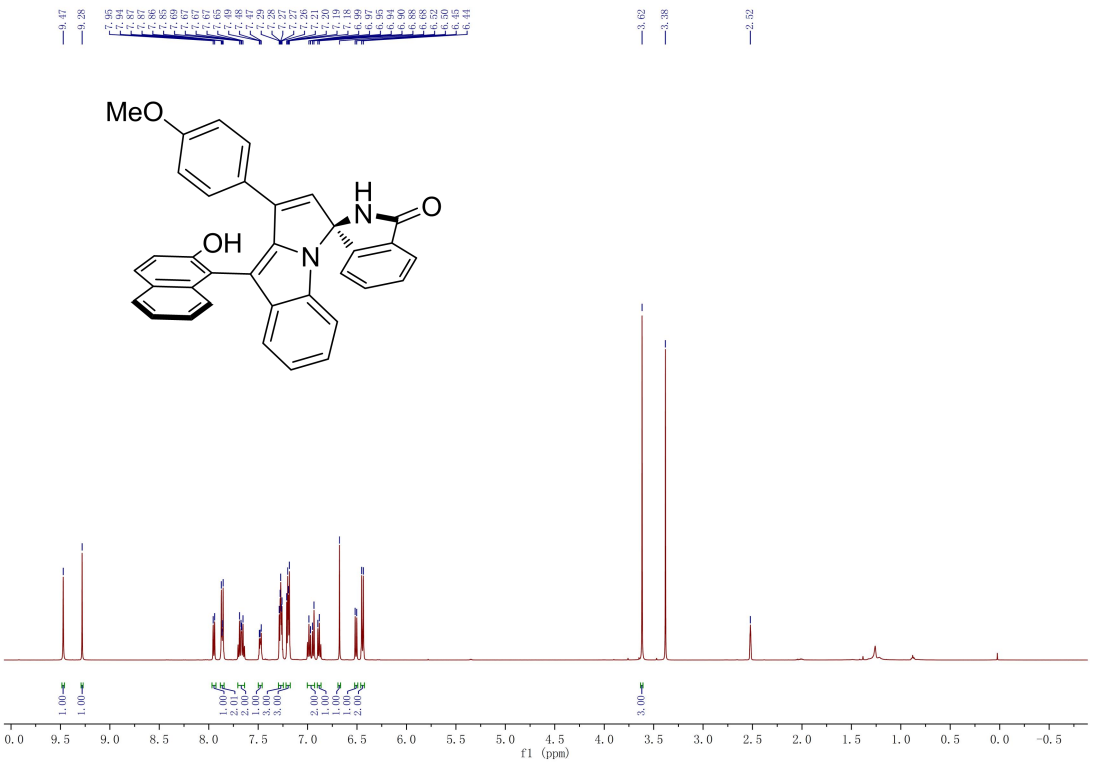


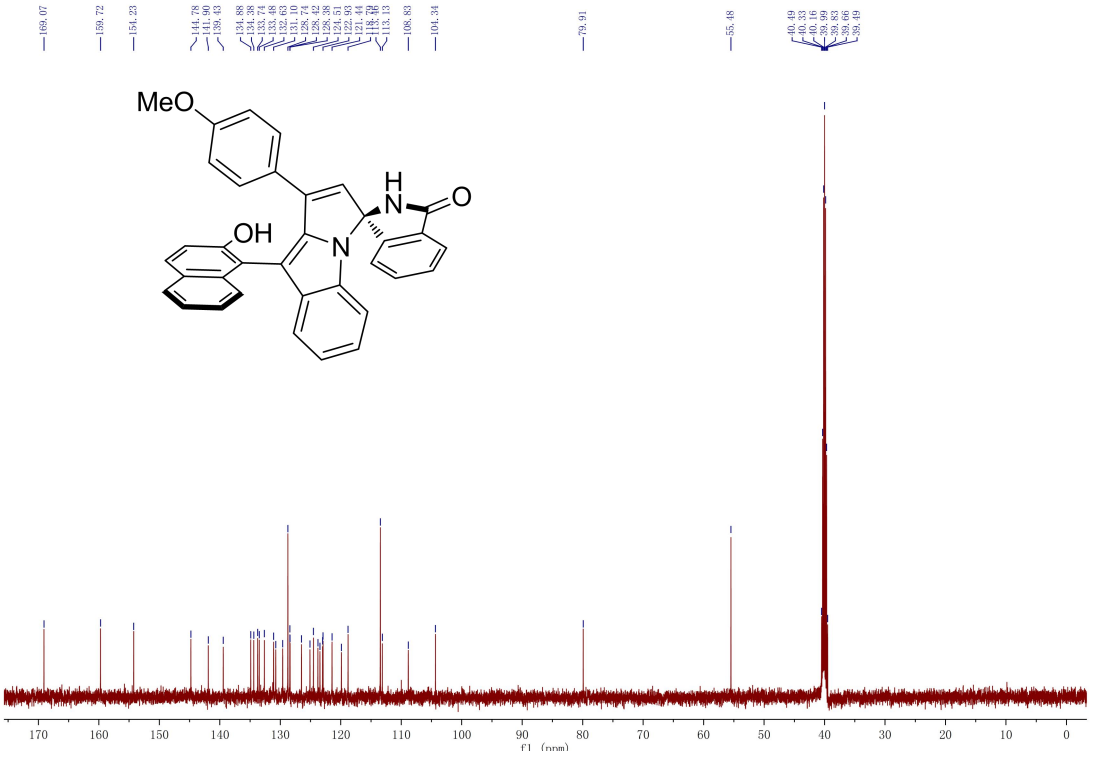
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(*p*-tolyl)spiro[isoindoline-1,3'-pyrrolo[1, 2-a]indol]-3-one (3ea)



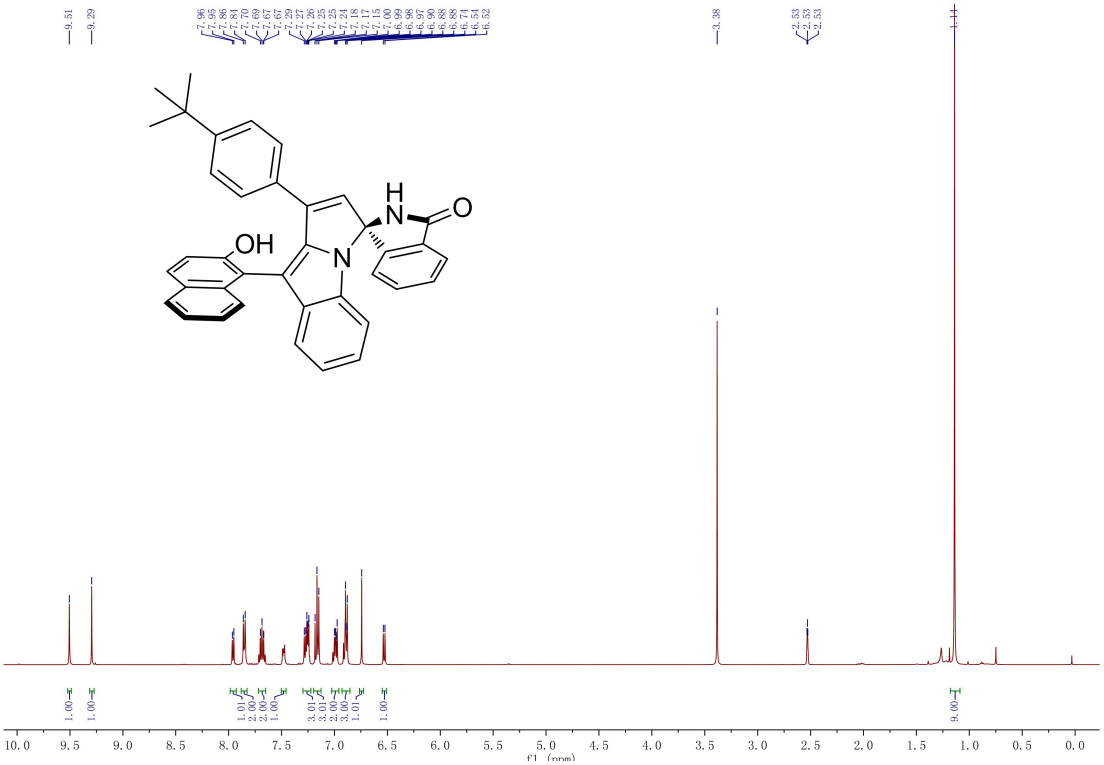


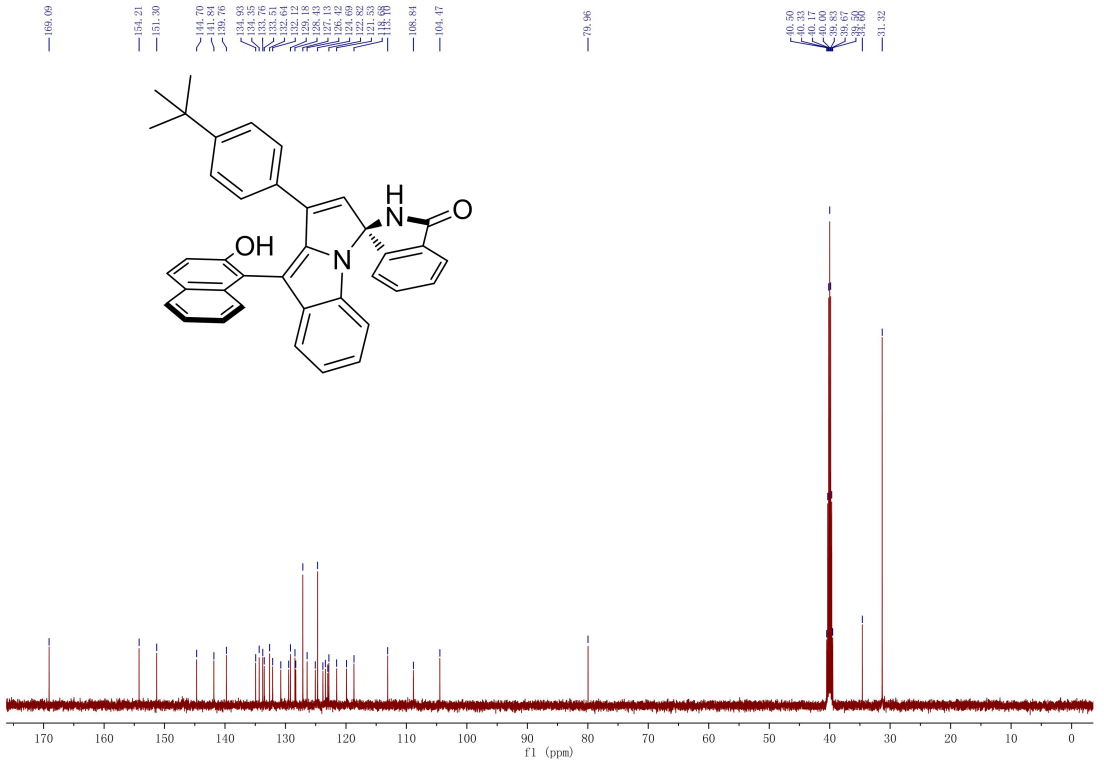
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(4-methoxyphenyl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3fa)



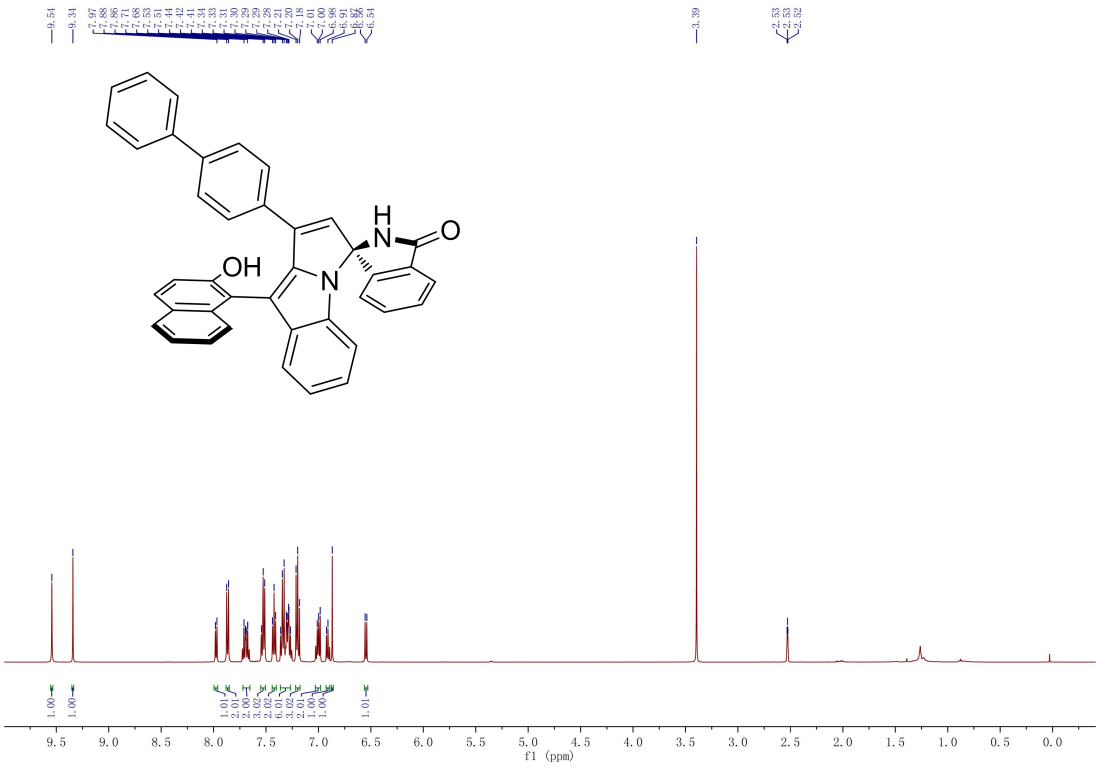


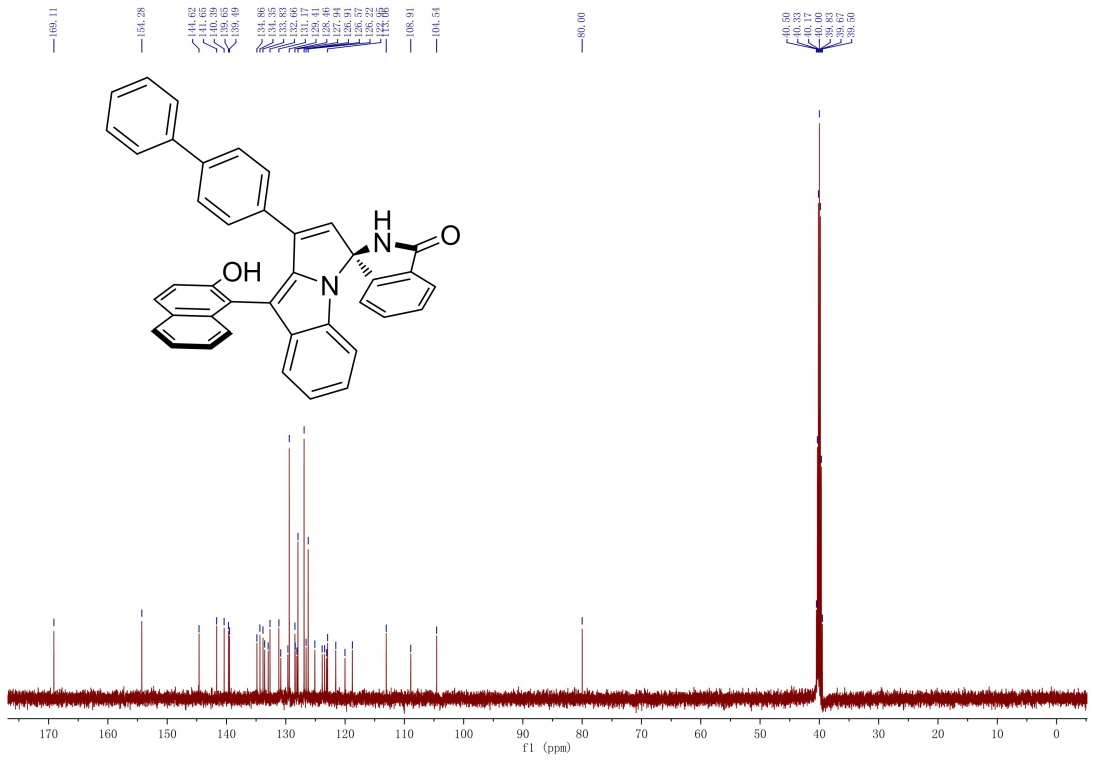
(*R*)-1'-(4-(*tert*-butyl)phenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1, 3'-pyrrolo[1,2-a]indol]-3-one (3ga)



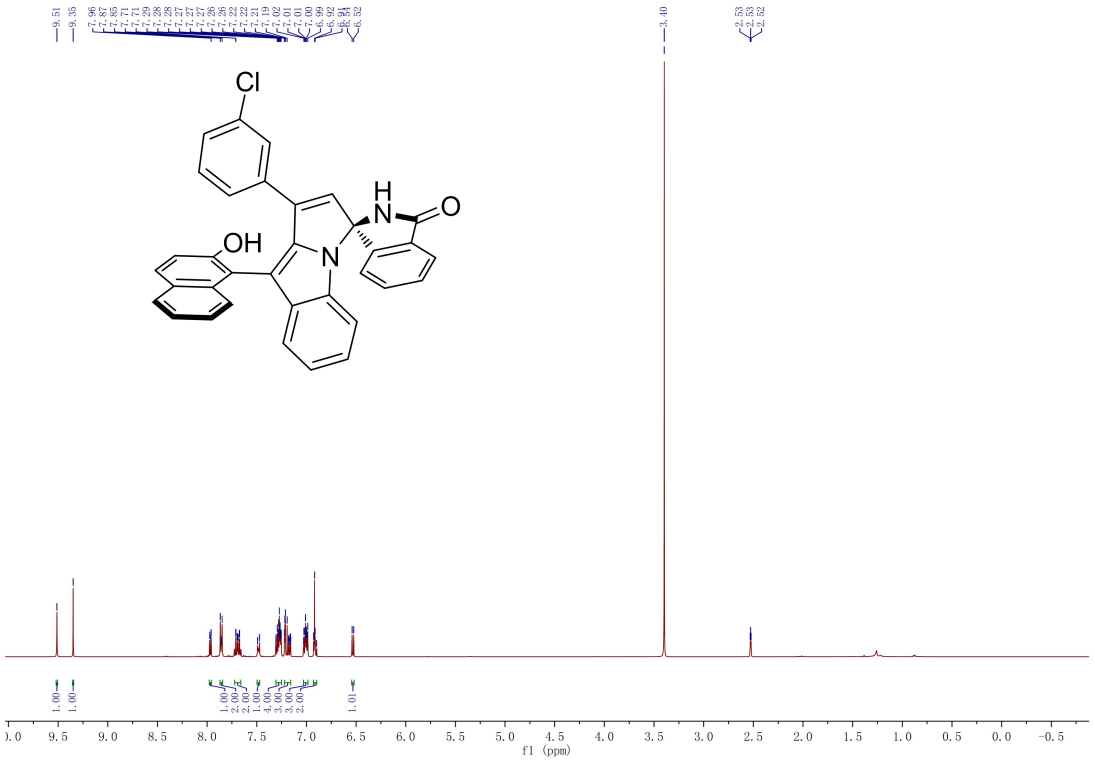


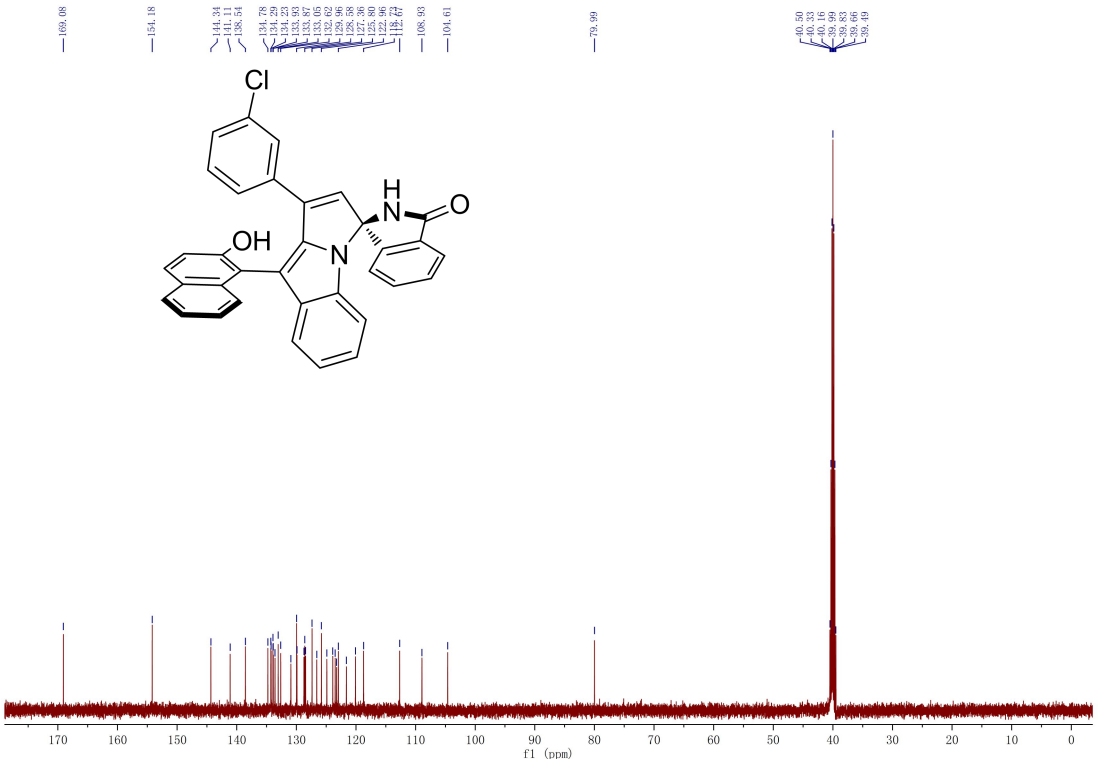
(*R*)-1'-([1,1'-biphenyl]-4-yl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1, 3'-pyrrolo[1,2-a]indol]-3-one (3ha)



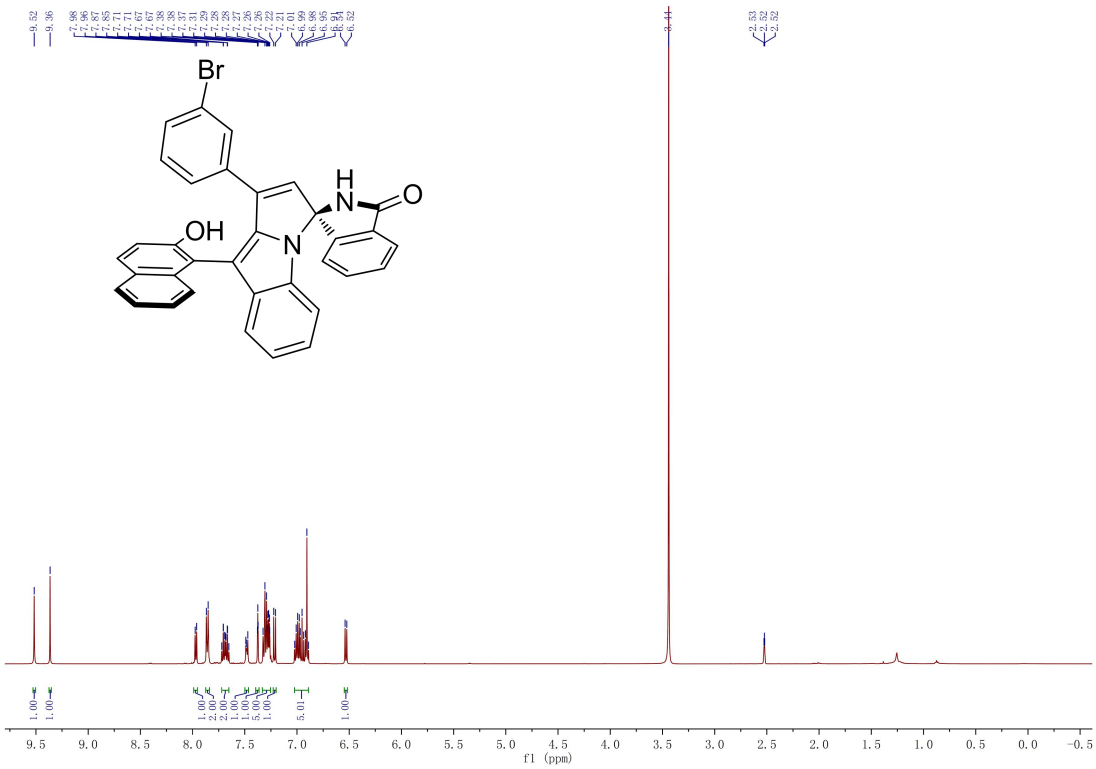


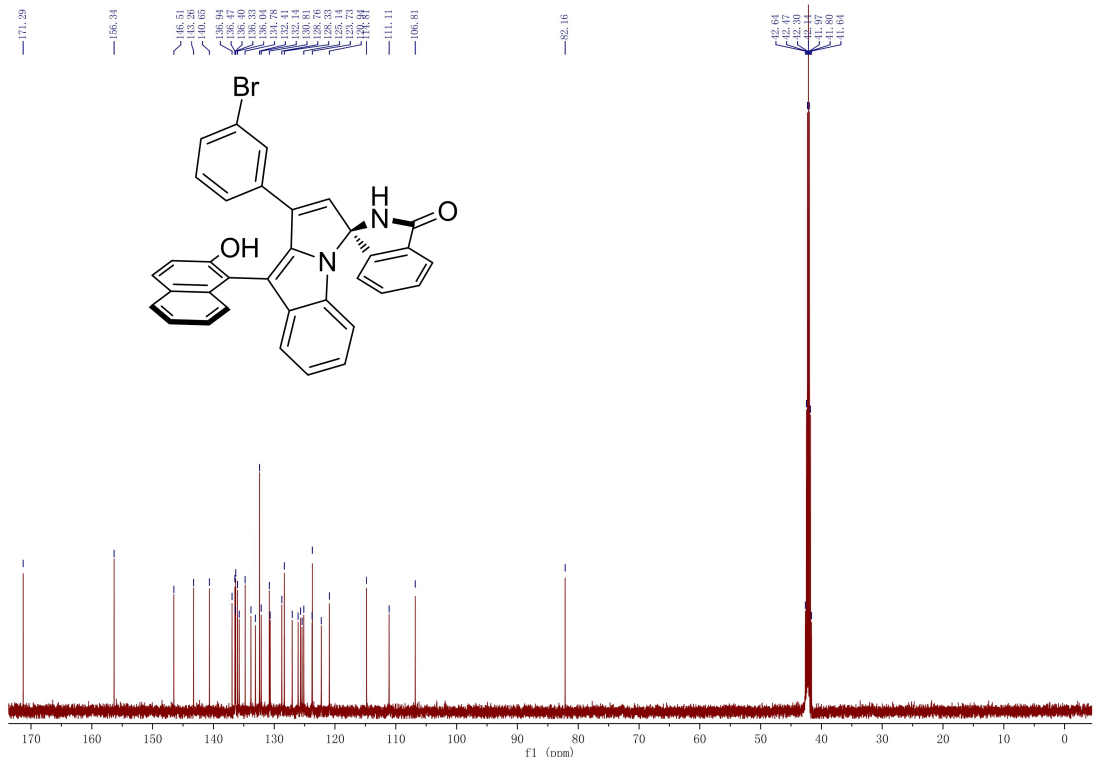
(*R*)-1'-(3-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ia)



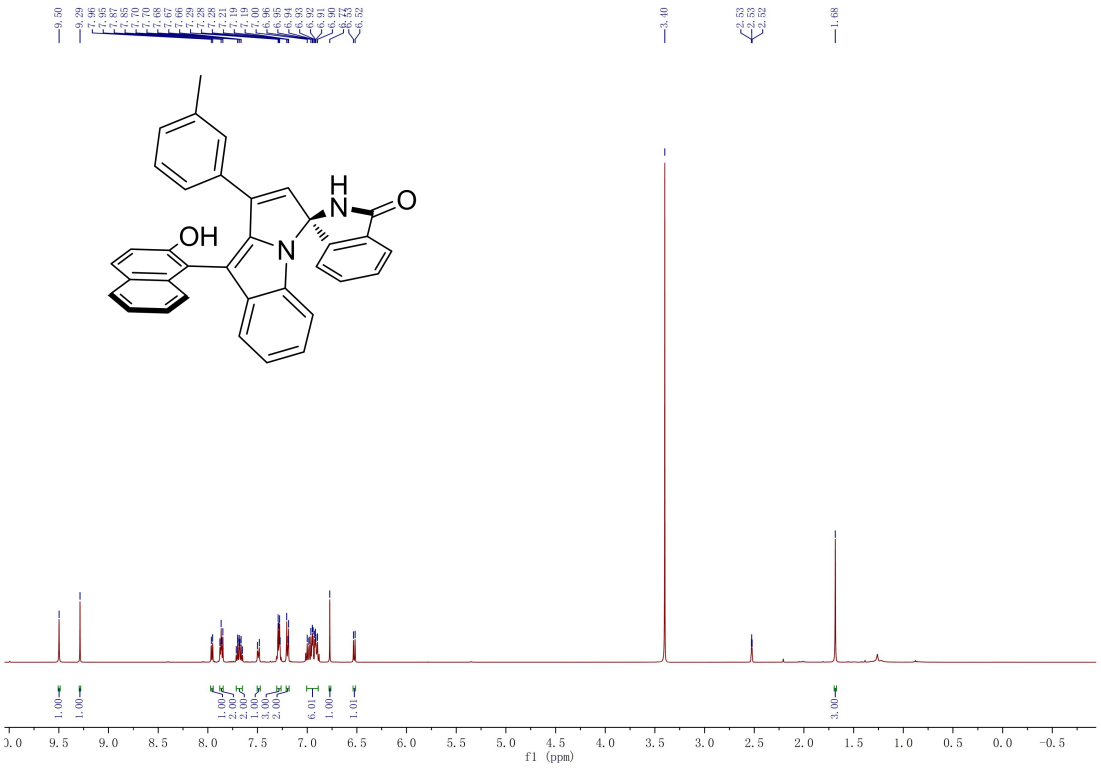


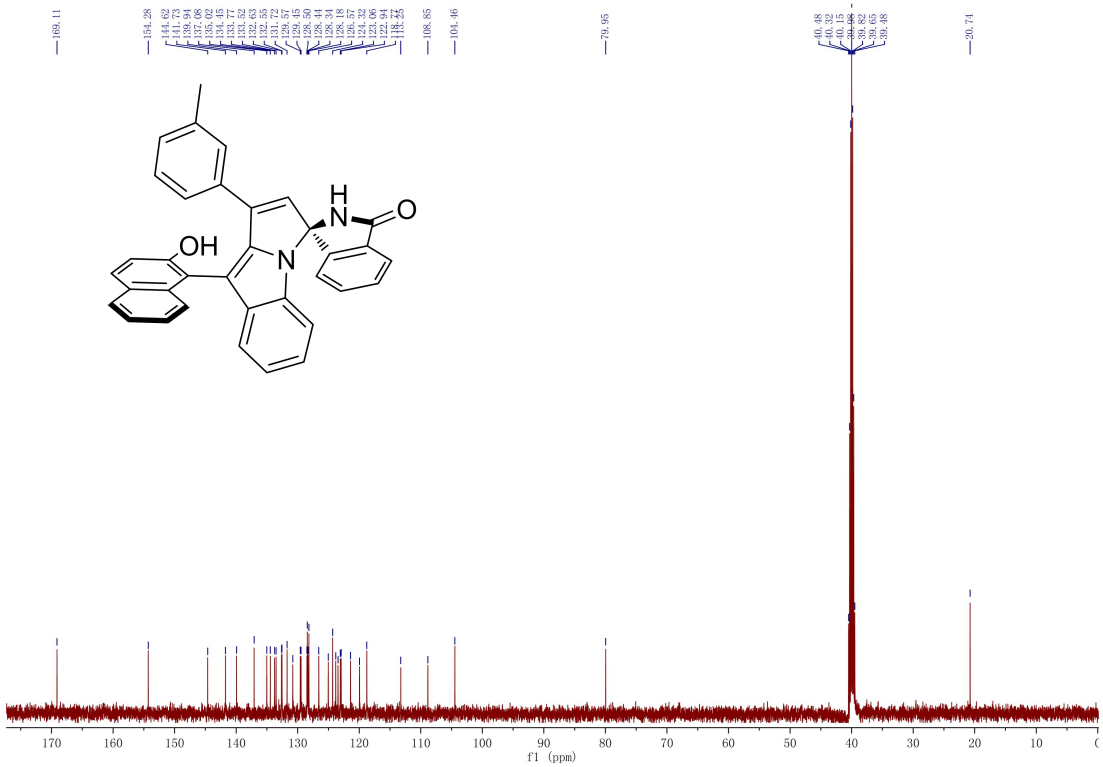
(*R*)-1'-(3-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ja)



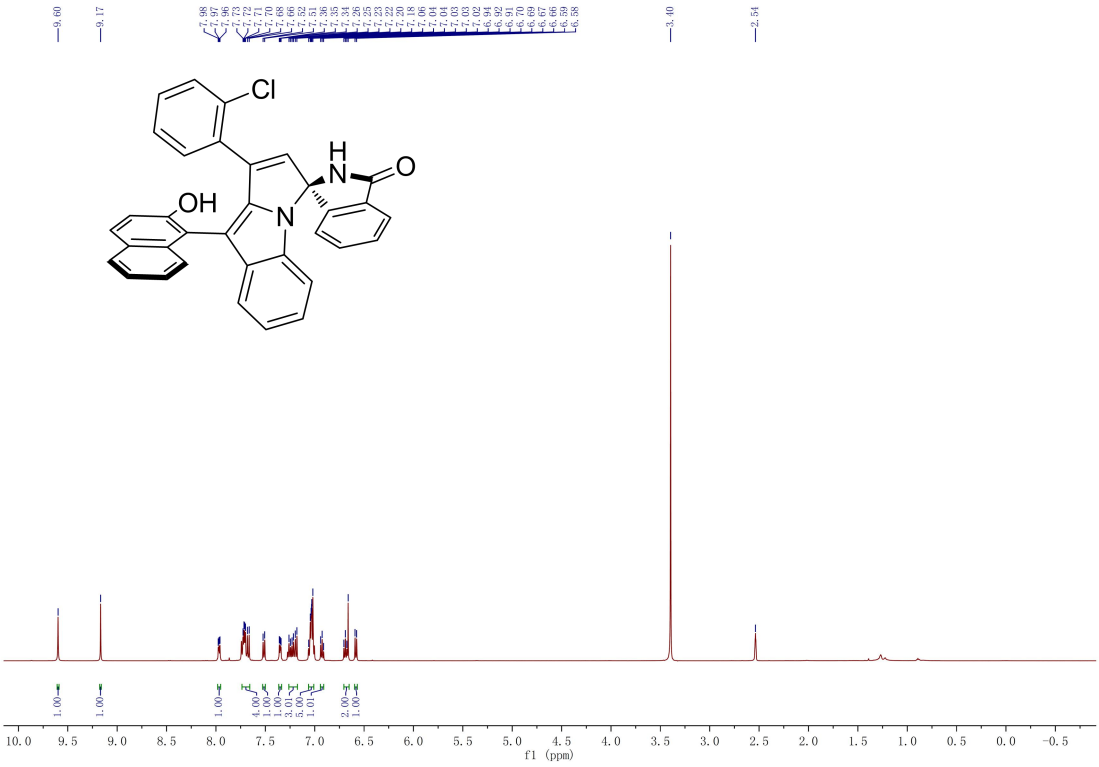


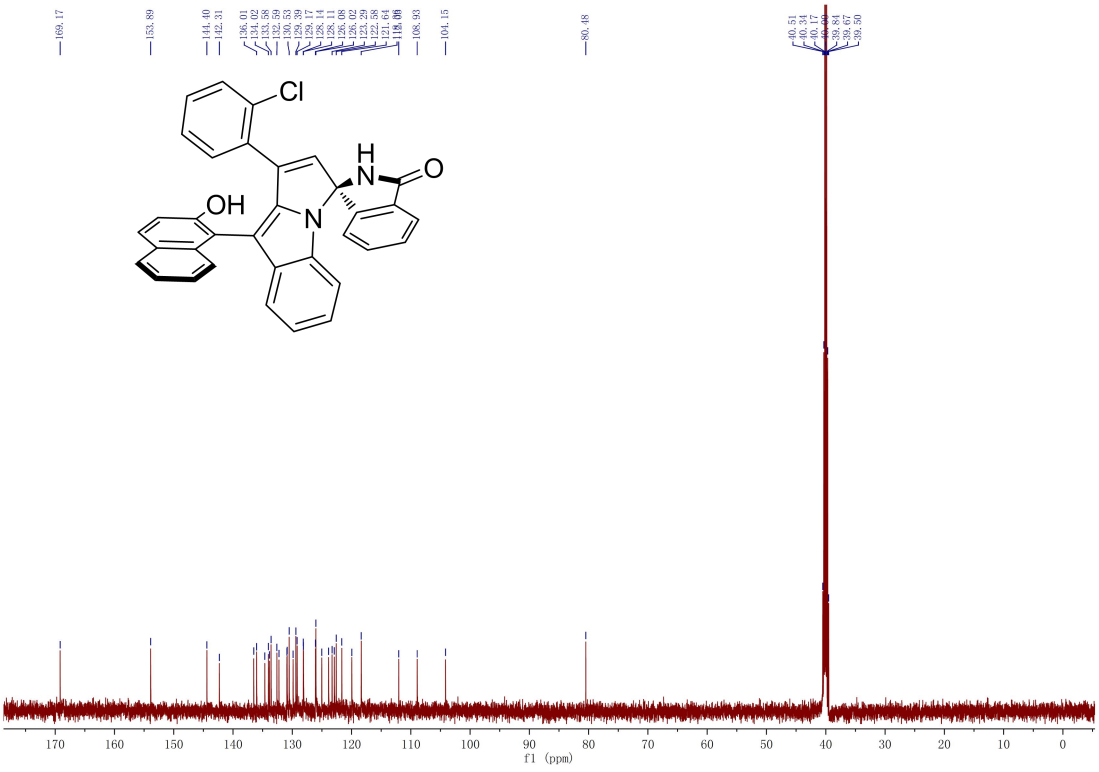
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(*m*-tolyl)spiro[isoindoline-1,3'-pyrrolo[1, 2-a]indol]-3-one (3ka)



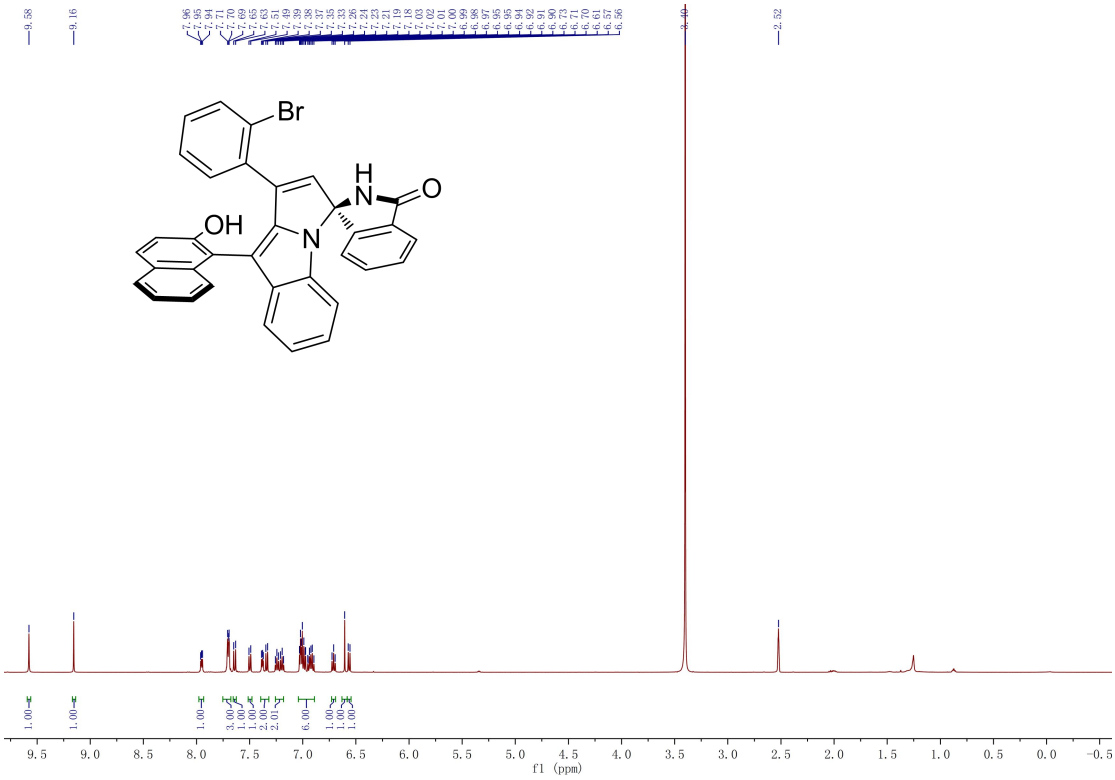


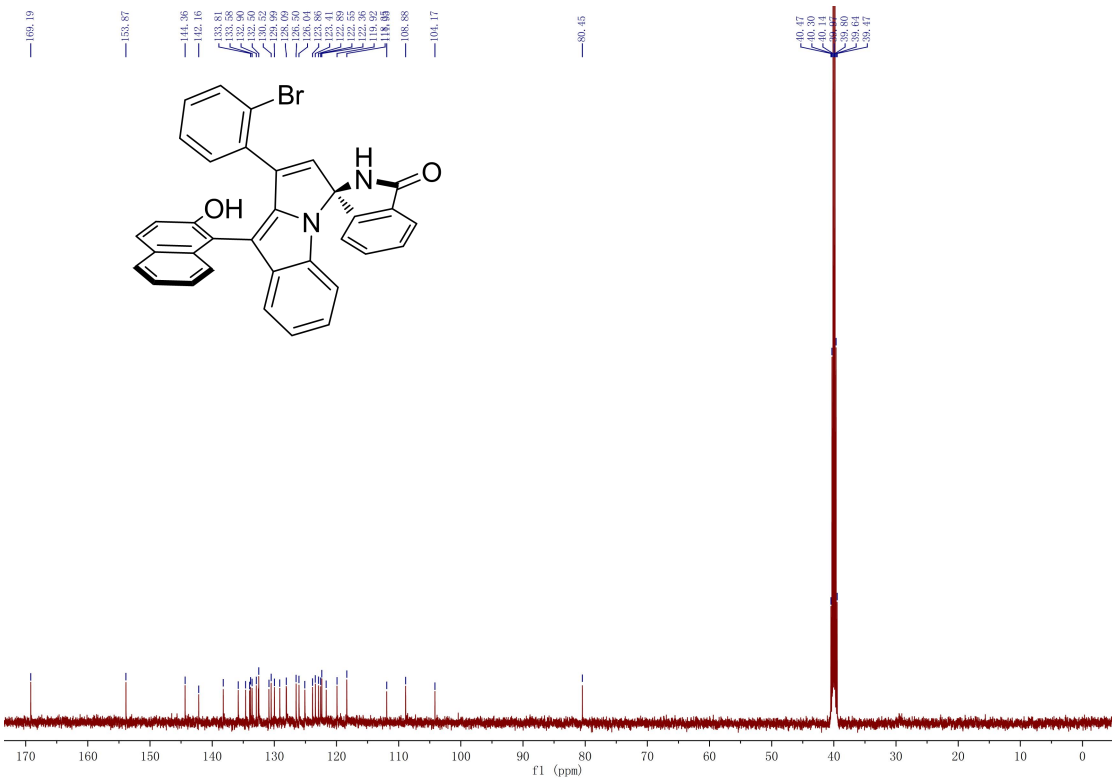
(*R*)-1'-(2-chlorophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3la)



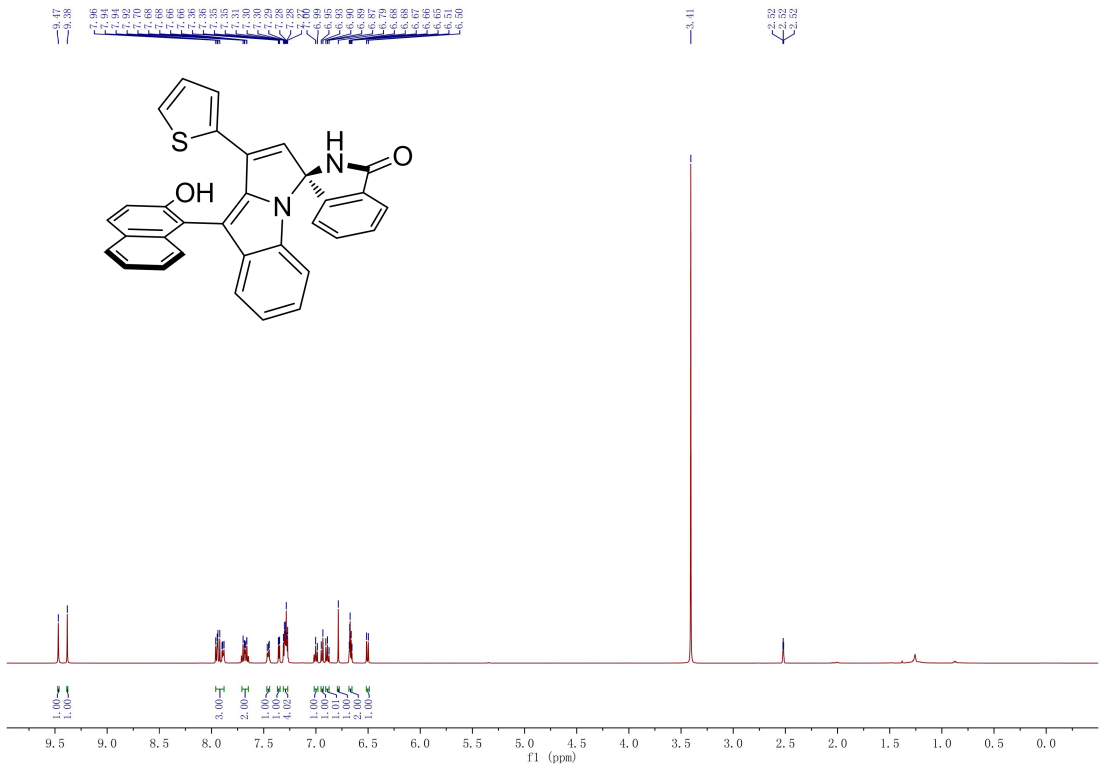


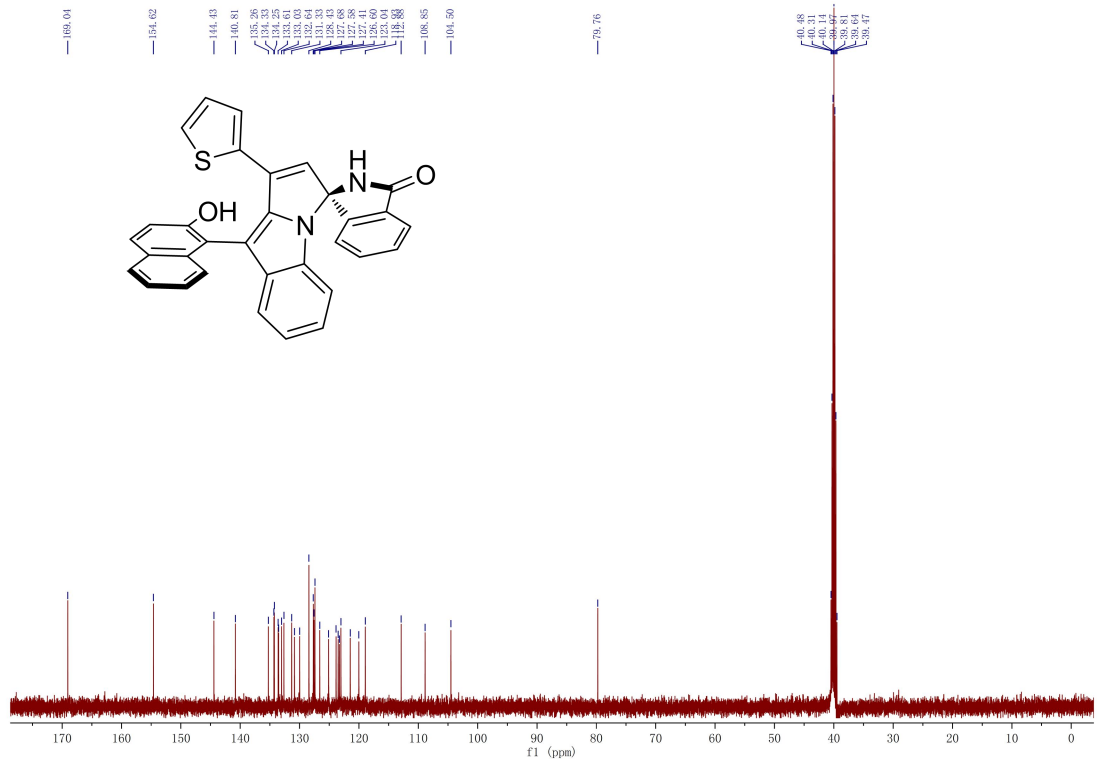
(*R*)-1'-(2-bromophenyl)-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ma)



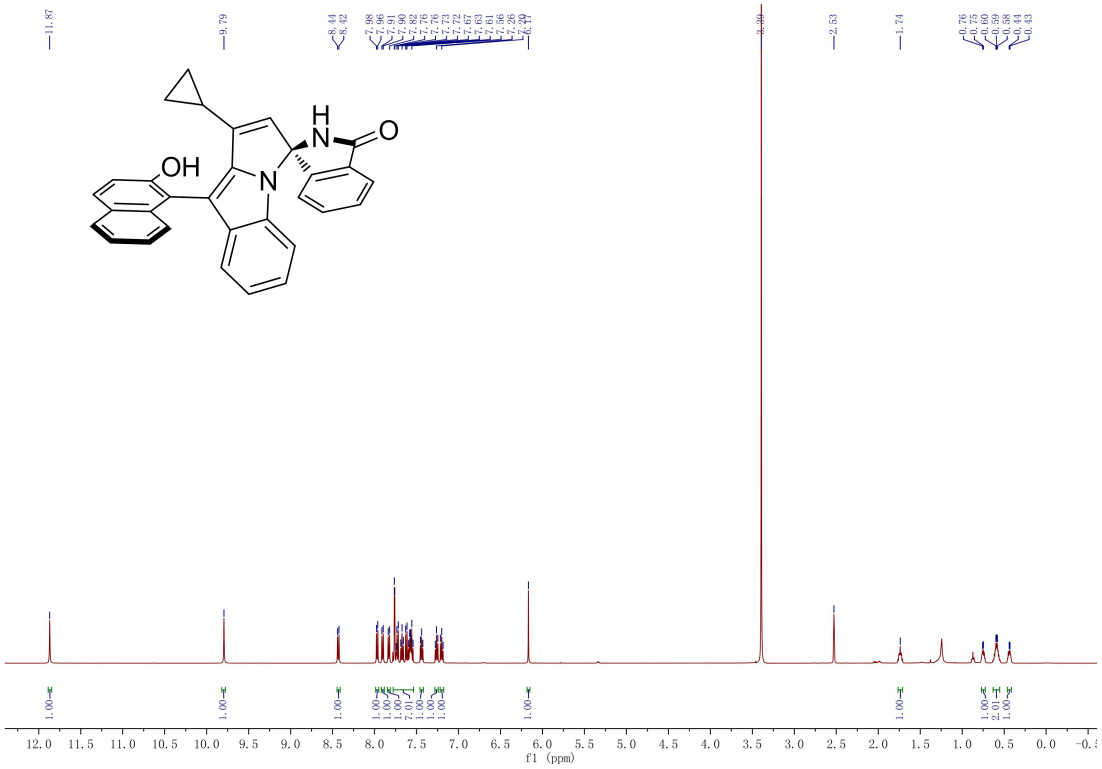


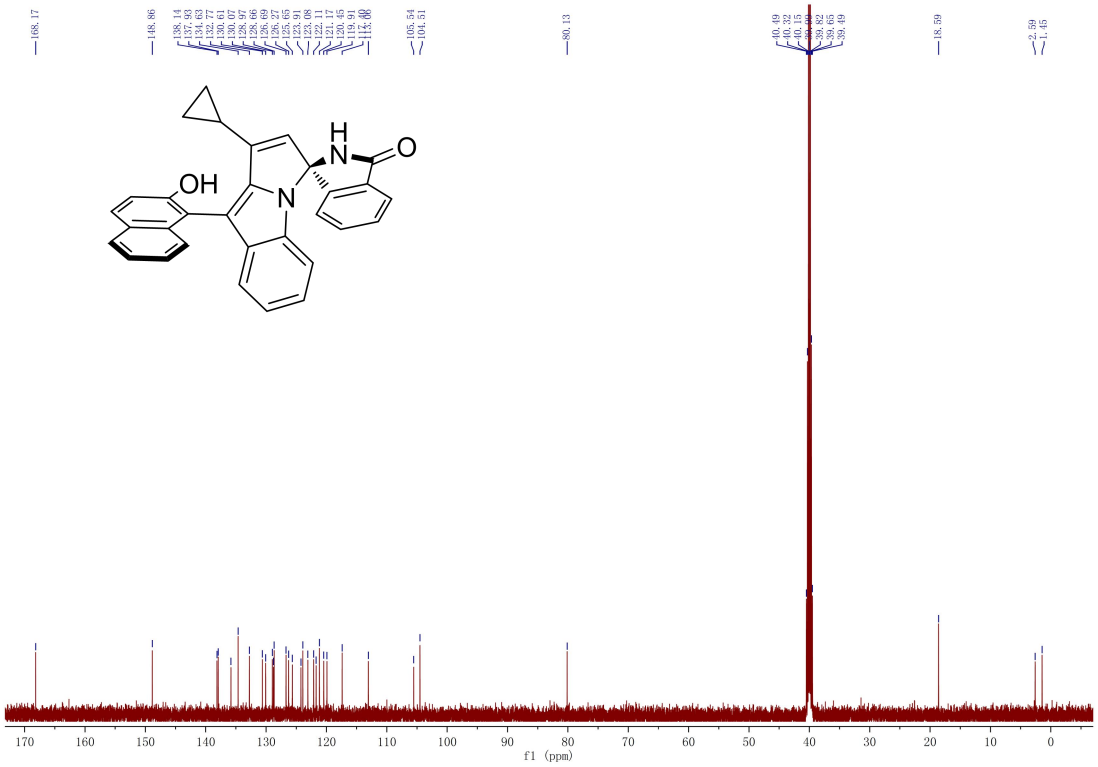
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-(thiophen-2-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3na)



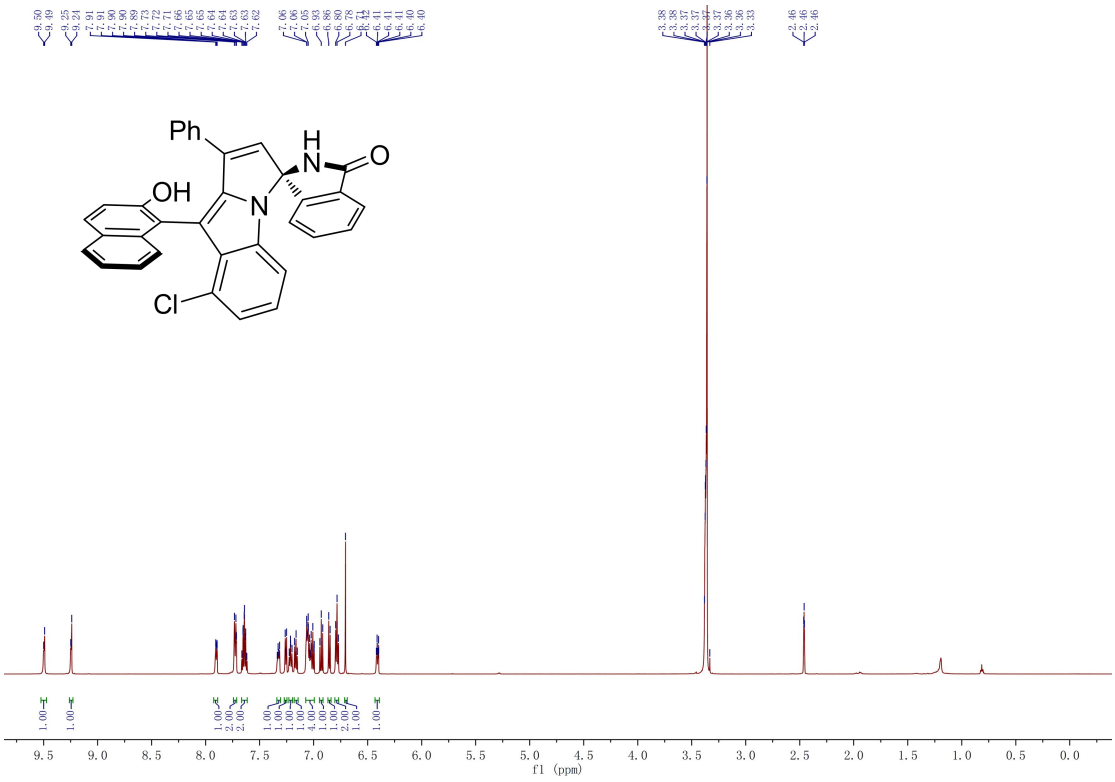


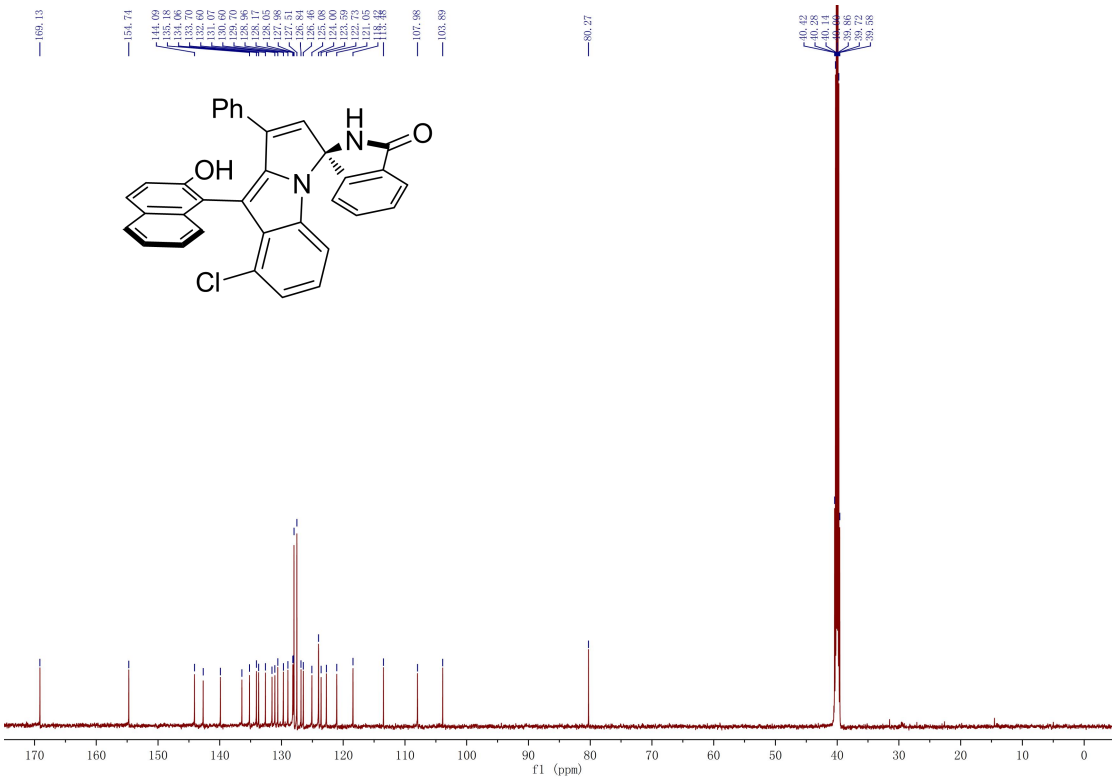
(*R*)-1'-cyclopropyl-9'-((*S*)-2-hydroxynaphthalen-1-yl)spiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3oa)



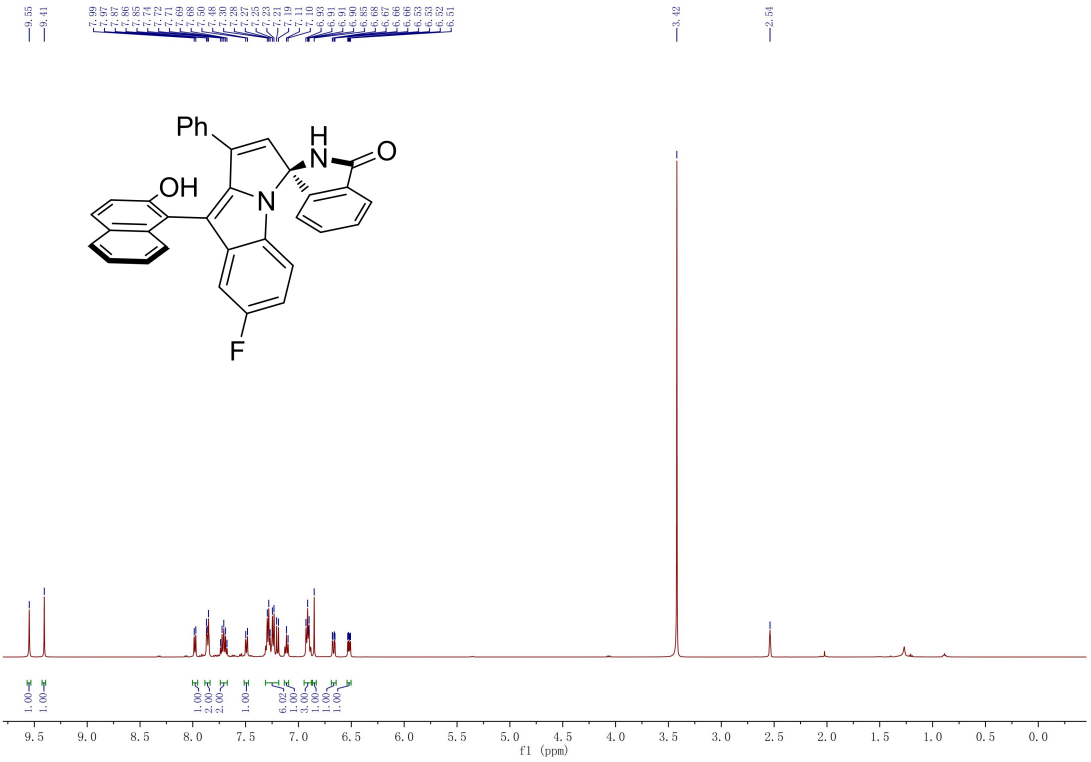


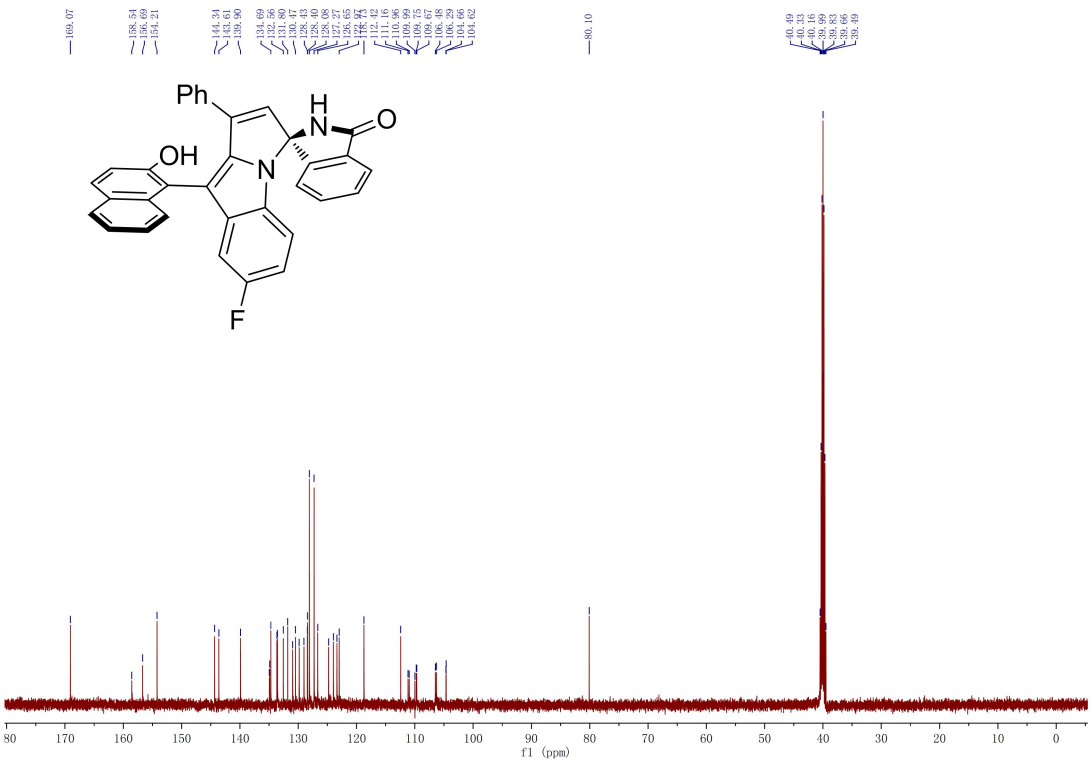
(*R*)-8'-chloro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ab)



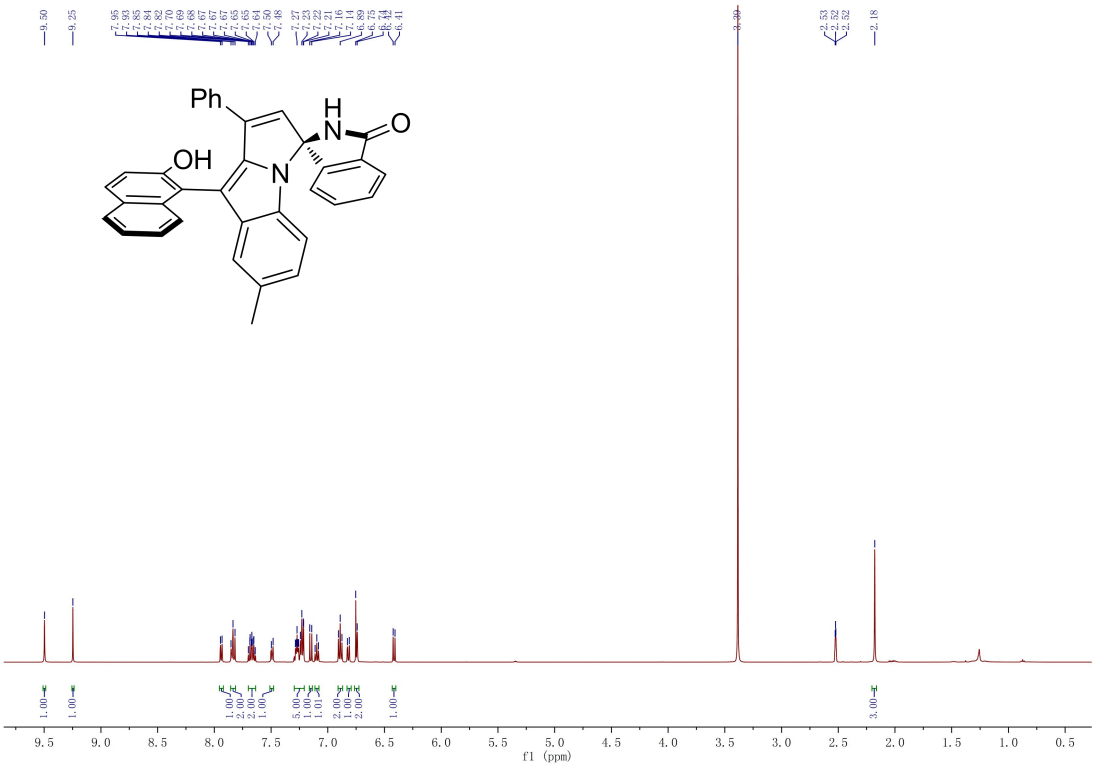


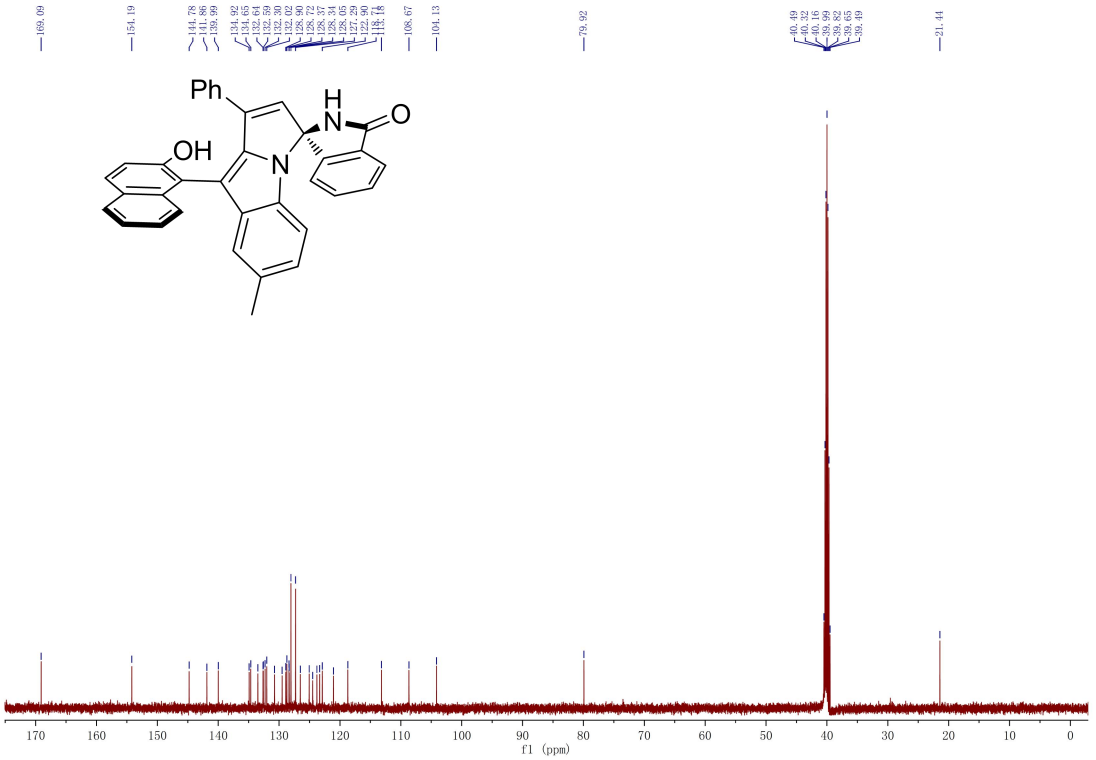
(*R*)-7'-fluoro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ac)



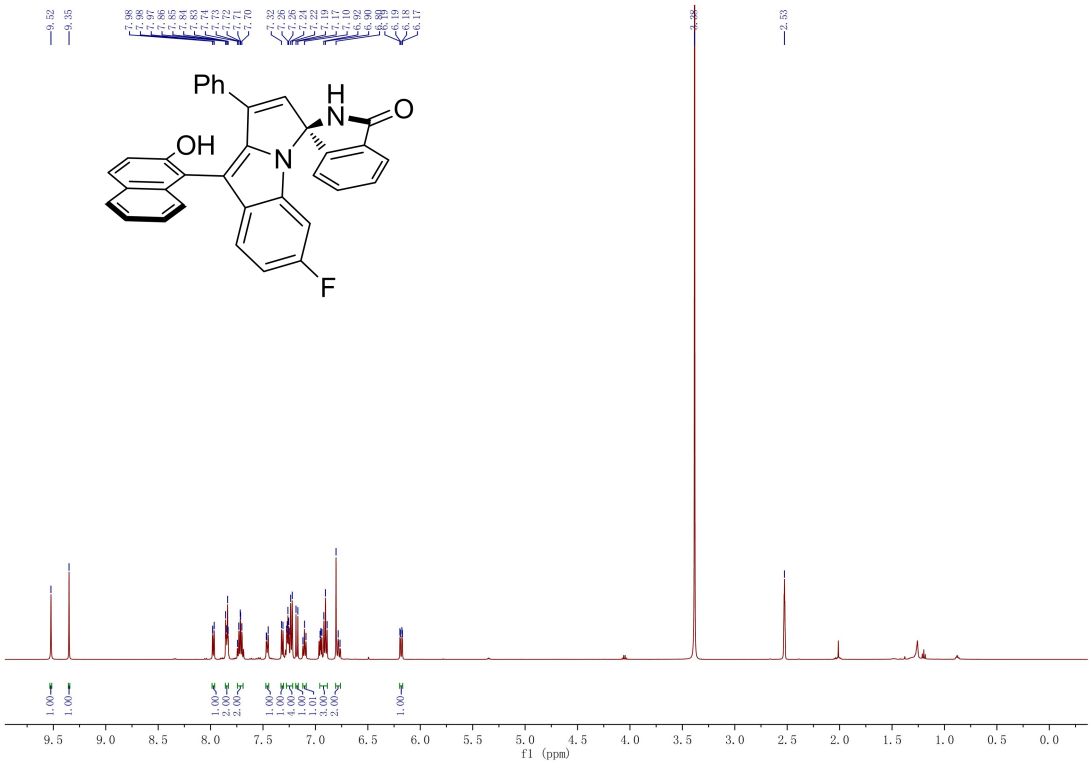


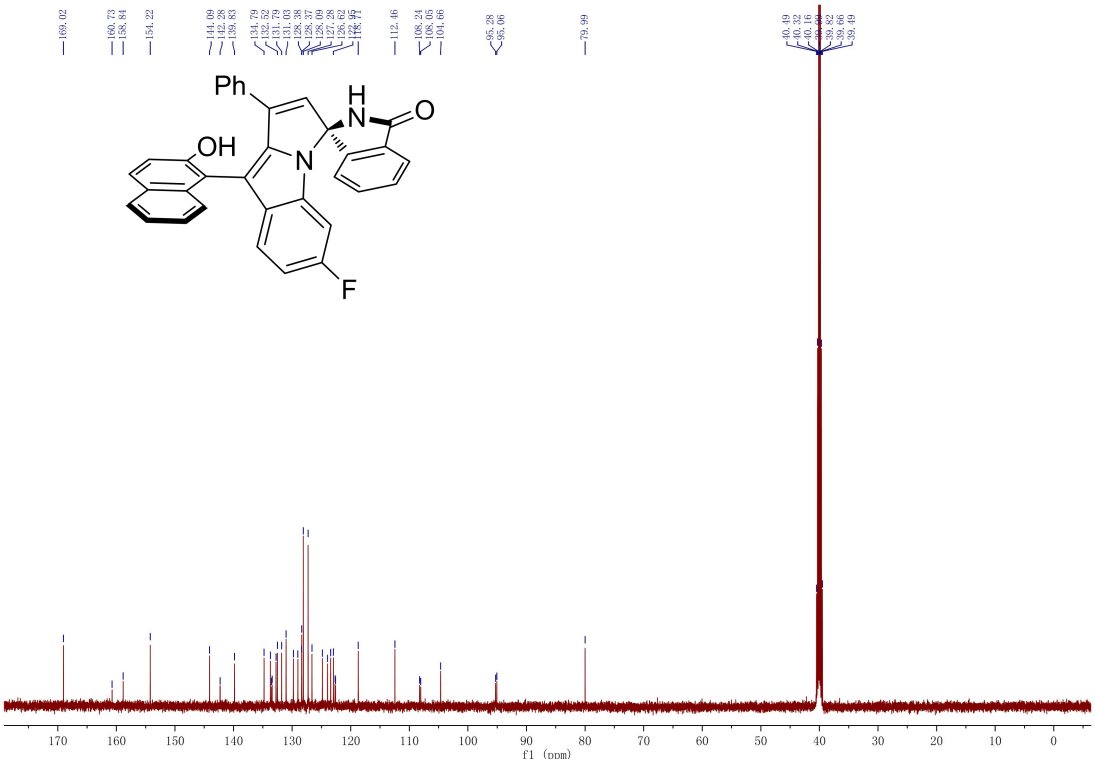
(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-7'-methyl-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ad)



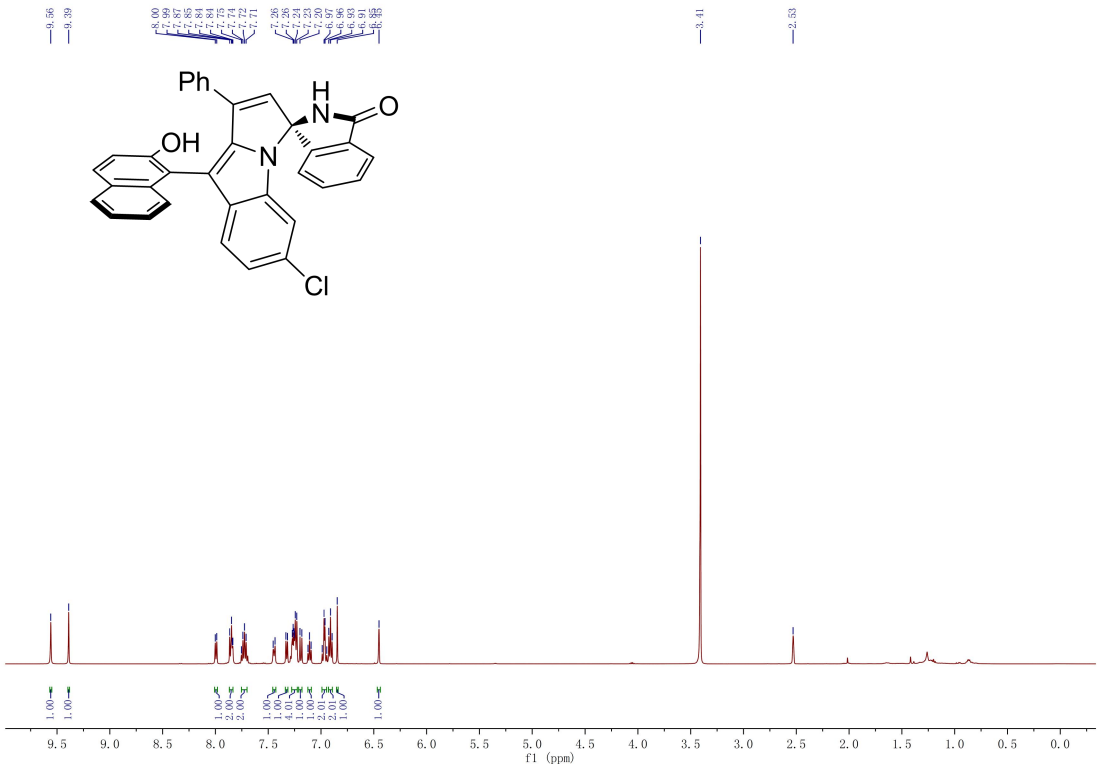


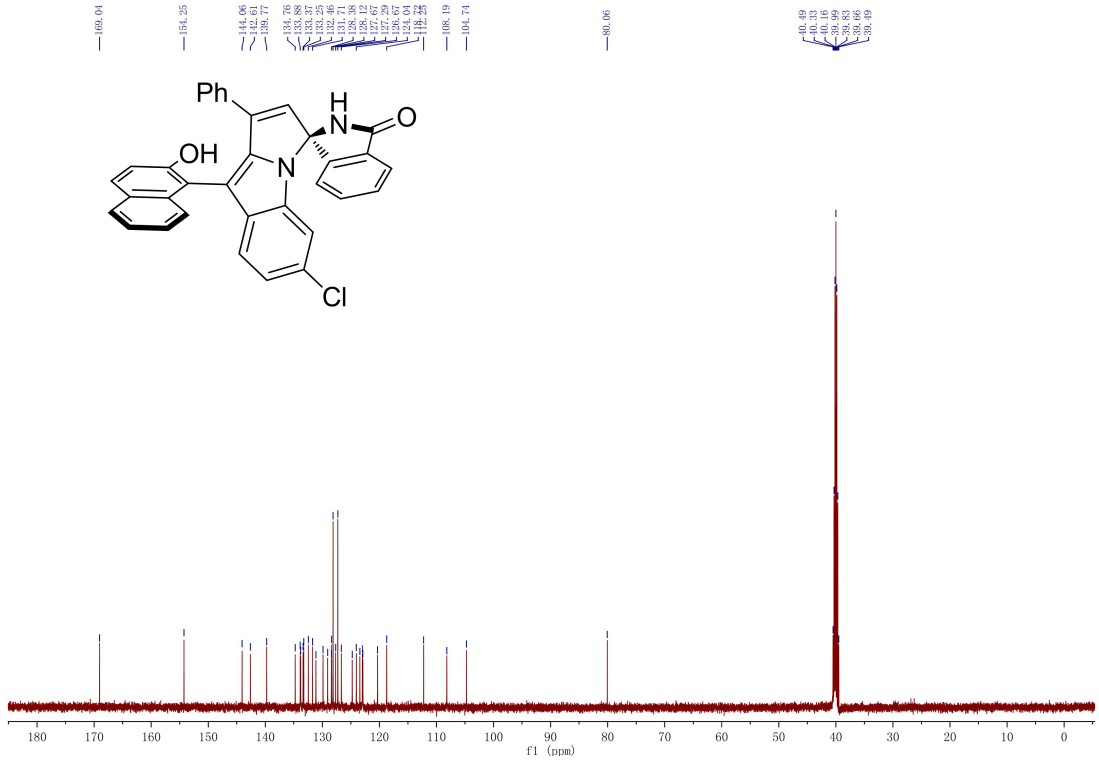
(*R*)-6'-fluoro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ae)



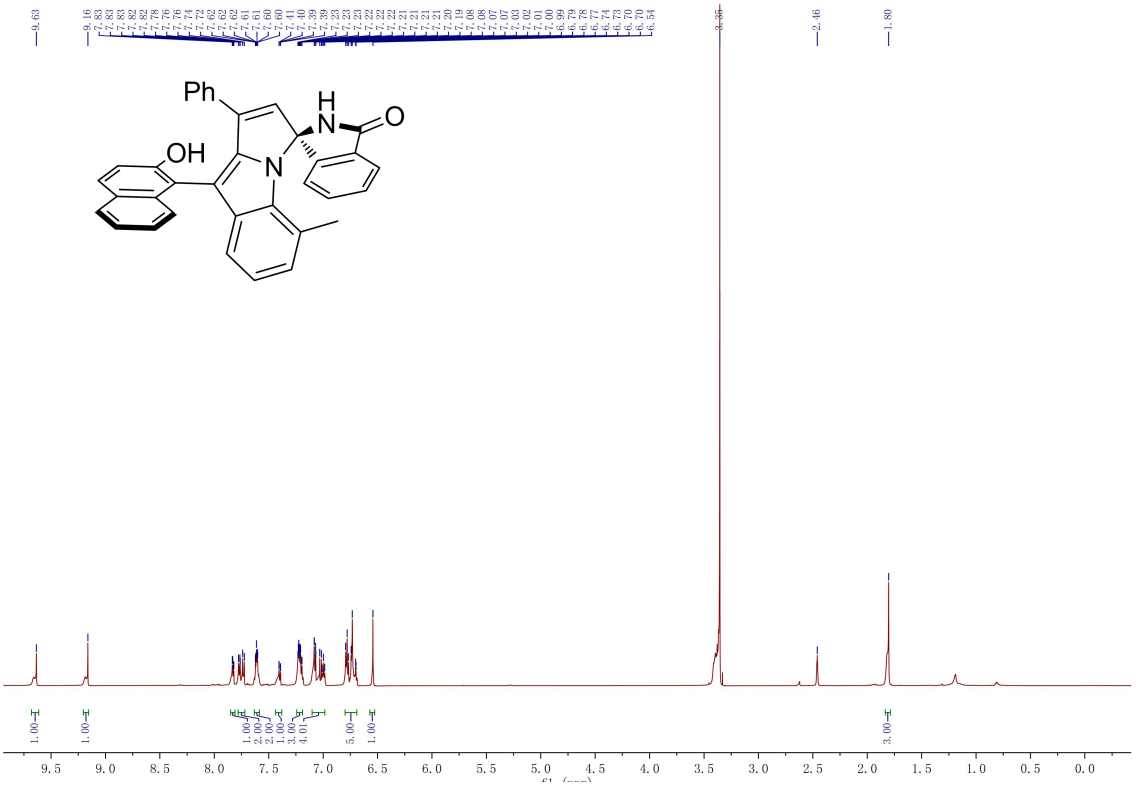


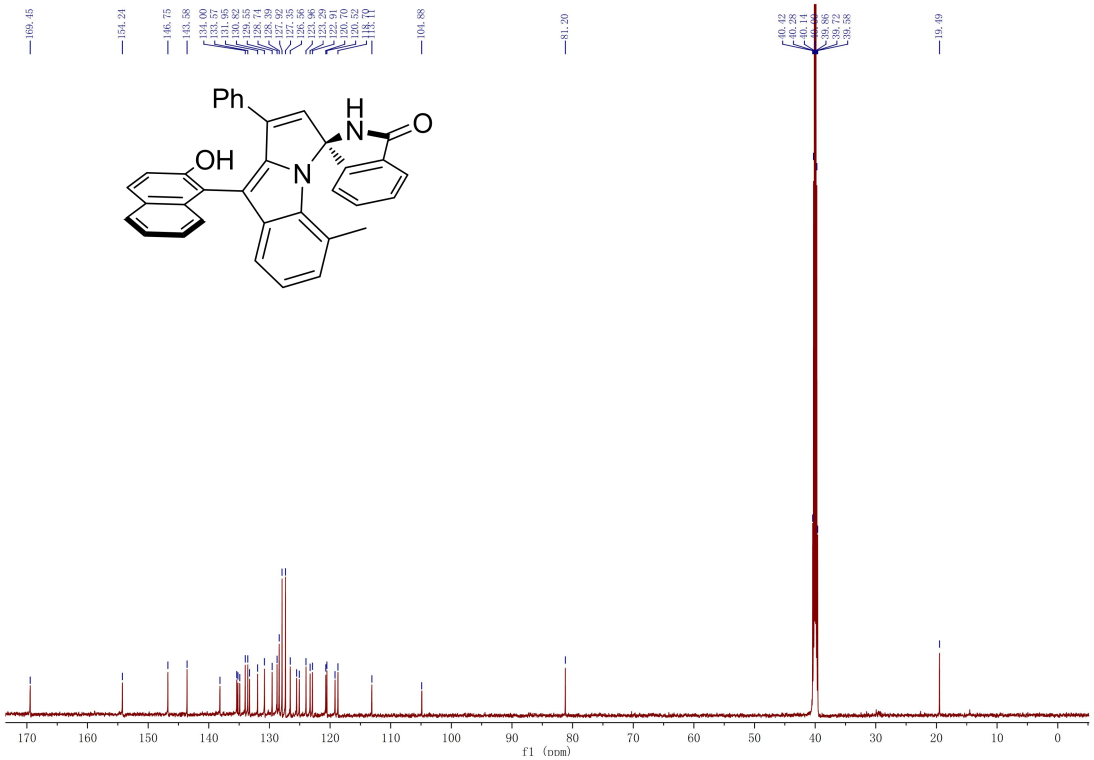
(*R*)-6'-chloro-9'-((*S*)-2-hydroxynaphthalen-1-yl)-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3af)





(*R*)-9'-((*S*)-2-hydroxynaphthalen-1-yl)-5'-methyl-1'-phenylspiro[isoindoline-1,3'-pyrrolo[1,2-a]indol]-3-one (3ag)





K: X-Ray Analysis

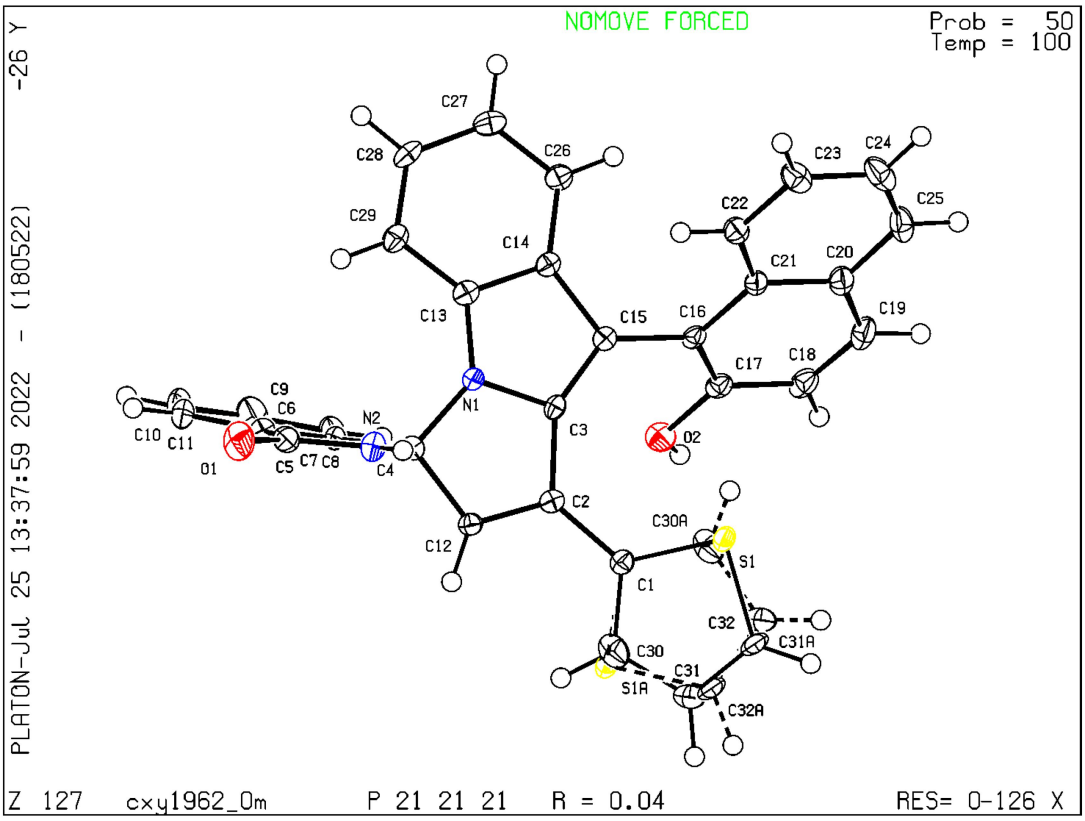


Table 1 Crystal data and structure refinement for 3na.

Identification code	**3na**
Empirical formula	$C_{32}H_{20}N_2O_2S$
Formula weight	496.56
Temperature/K	100.0(2)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	10.234(3)
b/Å	10.803(3)
c/Å	21.456(5)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	2372.1(11)
Z	4
ρcalcg/cm3	1.390
μ/mm^{-1}	0.171
F(000)	1032.0
Crystal size/mm^3	0.33 × 0.31 × 0.24
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	5.352 to 61.08
Index ranges	$-14 \le h \le 14$, $-15 \le k \le 15$, $-30 \le l \le 30$
Reflections collected	46780
Independent reflections	7227 [R_{int} = 0.0625, R_{sigma} = 0.0481]
Data/restraints/parameters	7227/138/348
Goodness-of-fit on F^2	1.013
Final R indexes [I>=2σ (I)]	R_1 = 0.0448, wR_2 = 0.0950
Final R indexes [all data]	R_1 = 0.0627, wR_2 = 0.1031
Largest diff. peak/hole / e Å^{-3}	0.40/-0.34
Flack parameter	0.00(4)

L: Reference

1. C. Qian, M. Liu, J. Sun, P. Li. *Org. Chem. Front*., **2022**, *9*, 1234-1240;
2. P. Wu, L. Yu, C. Gao, Q. Cheng, S. D. Jiao, W. Tan and F. Shi, *Fundamental Research*, **2022**, doi.org/10.1016/j.fmre.2022.01.002.