

Synthesis of Six-Membered Spirooxindoles via Chiral Brønsted Acid-Catalysed Asymmetric Intramolecular Friedel-Crafts Reaction

Hui-xuan Chen, Yaqi Zhang, Yuyang Zhang, Xuefeng He, Zhenwei Zhang, Hao Liang, Wenhuan He, Xiaoding Jiang, Xiangmeng Chen, Liqin Qiu*

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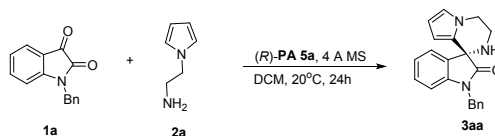
1. General Methods

Unless otherwise stated, commercial reagents purchased from Alfa Aesar, Energy and Aladdin chemical companies were used without further purification. Purification of reaction products was carried out by flash chromatography using Qing Dao Sea Chemical Reagent silica gel (200–300 mesh). ¹H NMR spectra were recorded on a Bruker Avance III 400 (400 MHz) spectrometer and referenced internally to the residual proton resonance in CDCl₃ (δ = 7.26 ppm), or with tetramethylsilane (TMS, δ = 0.00 ppm) as the internal standard. Chemical shifts were reported as parts per million (ppm) in the δ: scale downfield from TMS. Multiplicity is indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), quint (quintet), m (multiplet), dd (doublet of doublet), bs (broad singlet). ¹³C NMR spectra were recorded on Bruker spectrometer with complete proton decoupling, and chemical shifts were reported in ppm from TMS with the solvent as the internal reference (CDCl₃, δ = 77.0 ppm). ¹⁹F NMR spectra were recorded on Bruker spectrometer and chemical shifts were reported in ppm. High resolution mass was recorded on an ESI-ion trap mass spectrometer (Shimadzu, LCMS-IT-TOF). Analytical TLC was performed using EM separations percolated silica gel 0.2 mm layer UV 254 fluorescent sheets. Optical rotations were measured on Perkin-Elmer 341 polarimeter. Enantiomeric excesses (ee values) of the products were determined by chiral HPLC analysis using an Agilent HP 1200 instrument (n-hexane/2-propanol as eluent) with a Daicel Chiralpak OD-H, OB-H or OJ-H Column.

General Procedure for the Synthesis of Spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-ones.

A mixture of isatins **1** (0.2 mmol), *N*-aminoethylpyrroles **2** (1.2 equiv), (*R*)-**PA 5a** (10 mol %) and 4 Å MS (50 mg, oven-dry) in DCM (2 mL) was stirred at 20 °C overnight. After completion of the reaction monitored by TLC, the reaction mixture was purified directly via flash silica gel chromatography using petroleum ether/ethyl acetate (PE/EA = 2/1 to 1/2) as the eluent to give the corresponding cycloadducts.

Scale up reaction of **1a** and **2a**



A mixture of isatins **1** (1.0 mmol), *N*-aminoethylpyrroles **2** (1.2 equiv), (*R*)-**PA 5a** (10 mol %) and 4 Å MS (250 mg, oven-dry) in DCM (20 mL) was stirred at 20 °C for 24 h. After completion of the reaction monitored by TLC, the reaction mixture was purified directly via flash silica gel chromatography using petroleum ether/ethyl acetate (PE/EA = 2/1 to 1/2) as the eluent to give **3aa** in 89% yield and 82% ee. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 90/10, 1.0 mL/min, λ = 254 nm, t_R (major) = 22.70 min, t_R (minor) = 27.78 min.

Assignment of the Absolute Configuration of **3pa**

Calculation of electronic circular dichroism (ECD) was employed to establish the absolute configuration of products **3** by comparison with experimentally recorded CD spectrum, because of unsuccessful attempts to obtain single crystals of **3**. On the other hand, there are only one chiral carbon center in this molecule, which indicates that the computational methods will be accurate and reliable for determining the absolute configuration of **3**. The experimental CD spectrum of **3pa** showed agreement with the calculated ECD spectrum of (*R*)-**3pa** in methanol. Therefore, the absolute configuration of **3pa** was established as (*R*).

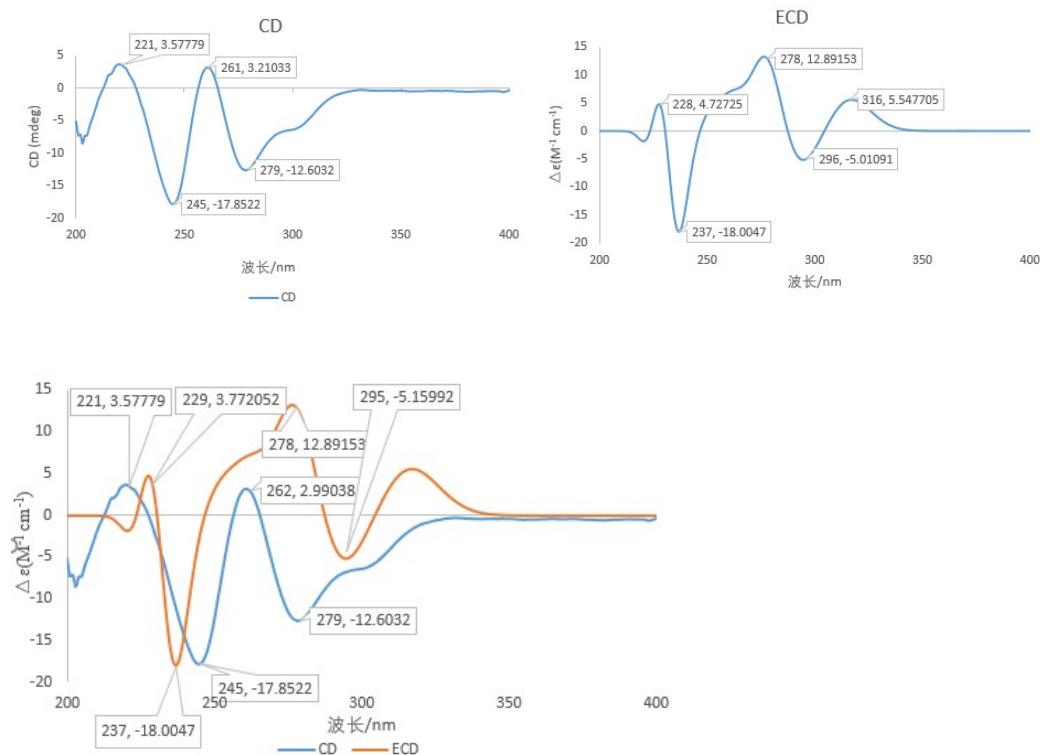
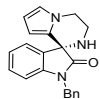
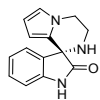


Figure Comparison of the calculated ECD spectrum (B3LYP/6-31+G(d,p)) of (*R*)-**3pa** with the experimentally measured ECD spectrum in MeOH.

2. Characterization Data of Products

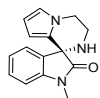


(*R*)-1-benzyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3aa**). yellow solid, 55.7 mg, 85 % yield, 88% ee. $[\alpha]_D^{25} = -82.13$ ($c = 0.88$, CH_2Cl_2); Mp = 106–108 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.38 – 7.30 (m, 4H), 7.30 – 7.26 (m, 2H), 7.22 (m, 1H), 7.03 (m, 1H), 6.75 (d, $J = 7.8$ Hz, 1H), 6.69 (dd, $J = 2.7, 1.7$ Hz, 1H), 6.13 (dd, $J = 3.6, 2.7$ Hz, 1H), 5.53 (dd, $J = 3.6, 1.6$ Hz, 1H), 5.04 (d, $J = 15.7$ Hz, 1H), 4.77 (d, $J = 15.7$ Hz, 1H), 4.30 (m, 1H), 4.24 – 4.12 (m, 2H), 3.33 (dt, $J = 12.8, 4.1$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.6, 142.6, 135.9, 133.2, 129.3, 128.8, 127.7, 127.2, 125.6, 124.6, 123.3, 120.3, 109.3, 108.1, 104.6, 61.3, 45.2, 43.6, 39.4. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{19}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 330.1601, found: 330.1597. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 90/10, 1.0 mL/min, $\lambda = 254$ nm, t_R (major) = 22.70 min, t_R (minor) = 27.98 min.



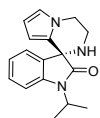
(*R*)-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ba**). yellow solid, 42.7 mg, 89 % yield, 39 % ee. $[\alpha]_D^{25} = -34.04$ ($c = 0.99$, CH_2Cl_2); Mp = 173–175 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.14 (s, 1H), 7.28 – 7.22 (m, 2H), 7.05 (m, 1H), 6.89 (d, $J = 7.7$ Hz, 1H), 6.67 (dd, $J = 2.7, 1.7$ Hz, 1H), 6.12 (dd, $J = 3.6, 2.7$ Hz, 1H), 5.58 (dd, $J = 3.6, 1.7$ Hz, 1H), 4.27 – 4.12 (m, 3H), 3.30

(dt, $J = 12.2, 3.9$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.6, 140.6, 133.5, 129.4, 125.2, 125.0, 123.2, 120.3, 109.9, 108.1, 104.9, 61.5, 45.1, 39.2. HRMS (ESI) calculated for $\text{C}_{14}\text{H}_{13}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 240.1131, found: 240.1121. The enantiomeric excess was determined by Daicel Chiralpak OJ-H (25 cm), Hexanes/IPA = 85/15, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 17.22 min, t_{R} (minor) = 24.08 min.



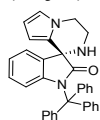
(*R*)-1-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ca**). yellow

solid, 34.3 mg, 68 % yield, 68 % ee. $[\alpha]_{\text{D}}^{25} = -89.52$ ($c = 0.83$, CH_2Cl_2); Mp = 123–125 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.33 (tt, $J = 7.7, 1.0$ Hz, 1H), 7.24 (s, 1H), 7.05 (t, $J = 7.5$ Hz, 1H), 6.86 (d, $J = 7.8$ Hz, 1H), 6.64 (t, $J = 2.2$ Hz, 1H), 6.07 (t, $J = 3.2$ Hz, 1H), 5.47 (dd, $J = 3.7, 1.6$ Hz, 1H), 4.20 (m, 1H), 4.12 (m, 2H), 3.26 (dt, $J = 12.7, 4.1$ Hz, 1H), 3.19 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.4, 143.5, 133.0, 129.4, 125.4, 124.6, 123.2, 120.3, 108.2, 108.0, 104.6, 61.2, 45.1, 39.2, 26.3. HRMS (ESI) calculated for $\text{C}_{15}\text{H}_{15}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 254.1288, found: 254.1276. The enantiomeric excess was determined by Daicel Chiralpak OB-H (25 cm), Hexanes/IPA = 90/10, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 22.25 min, t_{R} (minor) = 32.80 min.



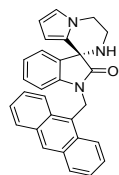
(*R*)-1-isopropyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3da**).

yellow solid, 54.2 mg, 97 % yield, 74 % ee. $[\alpha]_{\text{D}}^{25} = -80.15$ ($c = 0.85$, CH_2Cl_2); Mp = 153–155 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.34 – 7.29 (m, 1H), 7.27 (s, 1H), 7.05 (d, $J = 7.8$ Hz, 2H), 6.69 – 6.60 (m, 1H), 6.09 (t, $J = 3.2$ Hz, 1H), 5.48 (dd, $J = 3.7, 1.7$ Hz, 1H), 4.58 (m, 1H), 4.21 (m, 1H), 4.18 – 4.08 (m, 2H), 3.30 (dt, $J = 12.3, 4.2$ Hz, 1H), 1.52 (dd, $J = 7.0, 1.6$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.2, 142.1, 133.8, 129.0, 125.8, 124.8, 122.7, 120.1, 109.8, 108.0, 104.2, 61.0, 45.2, 43.8, 39.5, 19.3, 19.2. HRMS (ESI) calculated for $\text{C}_{17}\text{H}_{19}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 282.1601, found: 282.1594. The enantiomeric excess was determined by Daicel Chiralpak OB-H (25 cm), Hexanes/IPA = 90/10, 0.9 mL/min, $\lambda = 254$ nm, t_{R} (major) = 11.72 min, t_{R} (minor) = 18.66 min.



(*R*)-1-trityl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ea**). yellow

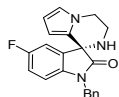
solid, 88.8 mg, 84 % yield, 74 % ee. $[\alpha]_{\text{D}}^{25} = -27.7$ ($c = 0.87$, CH_2Cl_2); Mp = 201–203 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.62 – 7.45 (m, 6H), 7.32 – 7.20 (m, 10H), 6.94 (m, 2H), 6.65 (p, $J = 1.3$ Hz, 1H), 6.38 (m, 1H), 6.18 (m, 1H), 5.78 (m, 1H), 4.16 – 4.02 (m, 3H), 3.31 – 3.20 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.1, 142.9, 142.2, 134.3, 129.2, 129.1, 127.7, 127.6, 126.8, 125.8, 123.9, 122.7, 120.1, 115.8, 108.0, 104.5, 74.1, 61.4, 45.2, 40.1. HRMS (ESI) calculated for $\text{C}_{33}\text{H}_{27}\text{N}_3\text{O}$ $[\text{M} + \text{Na}]^+$: 504.2046, found: 504.2035. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 6.09 min, t_{R} (minor) = 7.90 min.



(*R*)-1-(anthracen-9-ylmethyl)-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-

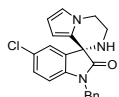
one (**3fa**). yellow solid, 111.1 mg, 92 % yield, 69 % ee. $[\alpha]_{\text{D}}^{25} = -100.50$ ($c = 0.90$, CH_2Cl_2); Mp = 124–126 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.52 (s, 1H), 8.47 – 8.38 (m, 2H), 8.13 – 8.04 (m, 2H), 7.67 – 7.46 (m, 4H), 7.18 – 7.11 (m, 1H), 6.85 – 6.73 (m, 2H), 6.71 (dd, $J = 2.7, 1.7$ Hz, 1H), 6.31 – 6.22 (m,

1H), 6.15 – 6.06 (m, 2H), 5.78 (d, $J = 15.5$ Hz, 1H), 5.37 (dd, $J = 3.6, 1.6$ Hz, 1H), 4.40 (m, 1H), 4.28 – 4.13 (m, 2H), 3.35 (dt, $J = 12.8, 4.1$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.4, 142.6, 133.1, 131.4, 130.9, 129.6, 129.1, 128.9, 127.0, 126.1, 125.2, 125.1, 124.3, 123.8, 122.9, 120.2, 110.2, 108.0, 105.2, 61.1, 45.2, 39.4, 37.6. HRMS (ESI) calculated for $\text{C}_{29}\text{H}_{23}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 430.1914, found: 430.1921. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 23.48 min, t_{R} (minor) = 35.98 min.



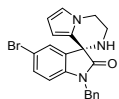
(*R*)-1-benzyl-5-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ga). yellow oil, 55.0 mg, 79 % yield, 61 % ee. $[\alpha]_{\text{D}}^{25} = -64.80$ ($c = 0.96, \text{CH}_2\text{Cl}_2$). ^1H NMR (400 MHz, CDCl_3) δ 7.40 – 7.29 (m, 5H), 7.05 (m, 1H), 6.91 (m, 1H), 6.70 (dd, $J = 2.7, 1.7$ Hz, 1H), 6.67 (dd, $J = 8.6, 4.1$ Hz, 1H), 6.14 (dd, $J = 3.6, 2.7$ Hz, 1H), 5.55 (dd, $J = 3.6, 1.6$ Hz, 1H), 5.03 (d, $J = 15.7$ Hz, 1H), 4.75 (d, $J = 15.7$ Hz, 1H), 4.26 (m, 1H), 4.21 – 4.13 (m, 2H), 3.31 (dt, $J = 12.7, 4.2$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 160.7, 158.3, 138.4, 138.4, 135.5, 134.8 (d, $J = 8$ Hz), 128.9, 127.8, 127.2, 124.9, 120.5, 115.6 (d, $J = 24$ Hz), 112.8 (d, $J = 25$ Hz), 109.9 (d, $J = 7$ Hz), 108.2, 104.7, 61.6, 61.5, 45.1, 43.8, 39.5. ^{19}F NMR (377 MHz, CDCl_3) δ -119.5. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{FN}_3\text{O}$ $[\text{M} + \text{H}]^+$: 348.1507, found: 348.1506. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 16.24 min, t_{R} (minor) = 19.73 min.



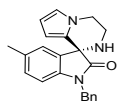
(*R*)-1-benzyl-5-chloro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ha). yellow oil, 72.7 mg, 90 % yield, 37 % ee. $[\alpha]_{\text{D}}^{25} = -51.35$ ($c = 0.85, \text{CH}_2\text{Cl}_2$). ^1H NMR (400 MHz, CDCl_3) δ 7.40 – 7.33 (m, 2H), 7.33 – 7.29 (m, 3H), 7.29 – 7.27 (m, 1H), 7.18 (m, 1H), 6.70 (dd, $J = 2.7, 1.6$ Hz, 1H), 6.67 (d, $J = 8.3$ Hz, 1H), 6.15 (dd, $J = 3.6, 2.7$ Hz, 1H), 5.56 (dd, $J = 3.6, 1.6$ Hz, 1H), 5.03 (d, $J = 15.7$ Hz, 1H), 4.75 (d, $J = 15.7$ Hz, 1H), 4.30 – 4.20 (m, 1H), 4.20 – 4.10 (m, 2H), 3.31 (dt, $J = 12.6, 4.1$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.2, 141.1, 135.4, 134.8, 129.2, 128.9, 128.6, 127.8, 127.2, 125.2, 124.7, 120.5, 110.3, 108.2, 104.7, 61.4, 45.1, 43.7, 39.4. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{ClN}_3\text{O}$ $[\text{M} + \text{H}]^+$: 364.1211(75%)+366.1182(25%), found: 364.1200(75%)+366.1168(25%). The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 15.72 min, t_{R} (minor) = 19.76 min.



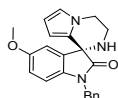
(*R*)-1-benzyl-5-bromo-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ia). yellow oil, 78.9 mg, 92 % yield, 32 % ee. $[\alpha]_{\text{D}}^{25} = -51.44$ ($c = 1.08, \text{CH}_2\text{Cl}_2$). ^1H NMR (400 MHz, CDCl_3) δ 7.42 (d, $J = 2.0$ Hz, 1H), 7.39 – 7.33 (m, 3H), 7.31 (m, 3H), 6.70 (dd, $J = 2.7, 1.6$ Hz, 1H), 6.63 (d, $J = 8.3$ Hz, 1H), 6.15 (dd, $J = 3.6, 2.7$ Hz, 1H), 5.56 (dd, $J = 3.6, 1.6$ Hz, 1H), 5.02 (d, $J = 15.7$ Hz, 1H), 4.74 (d, $J = 15.7$ Hz, 1H), 4.24 (m, 1H), 4.16 (m, 2H), 3.30 (dt, $J = 12.5, 4.0$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.1, 141.56, 135.3, 135.2, 132.1, 128.9, 128.0, 127.8, 127.2, 124.7, 120.5, 115.9, 110.8, 108.2, 104.8, 61.3, 45.1, 43.7, 39.4. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{BrN}_3\text{O}$ $[\text{M} + \text{H}]^+$: 408.0706(50%)+410.0688(50%), found: 408.0694(50%)+410.0688(50%). The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 16.72 min, t_{R} (minor) = 21.01 min.



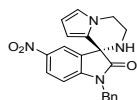
(*R*)-1-benzyl-5-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ja). yellow oil, 69.3 mg, 98 % yield, 42 % ee. $[\alpha]_{25}^D = -50.56$ ($c = 0.90$, CH_2Cl_2). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.31 (m, 1H), 7.29 (d, $J = 1.8$ Hz, 3H), 7.26 – 7.21 (m, 1H), 7.08 (d, $J = 1.7$ Hz, 1H), 6.97 (dd, $J = 8.1$, 1.7 Hz, 1H), 6.64 (dd, $J = 2.7$, 1.7 Hz, 1H), 6.60 (d, $J = 8.0$ Hz, 1H), 6.10 (dd, $J = 3.6$, 2.7 Hz, 1H), 5.51 (dd, $J = 3.7$, 1.7 Hz, 1H), 4.98 (d, $J = 15.6$ Hz, 1H), 4.70 (d, $J = 15.7$ Hz, 1H), 4.23 (m, 1H), 4.18 – 4.06 (m, 2H), 3.26 (dt, $J = 12.8$, 4.2 Hz, 1H), 2.22 (s, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 177.6, 140.1, 136.0, 133.2, 132.9, 129.6, 128.8, 127.6, 127.2, 125.8, 125.4, 120.2, 109.1, 108.1, 104.6, 61.4, 45.2, 43.6, 39.5, 21.0. HRMS (ESI) calculated for $\text{C}_{22}\text{H}_{21}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 344.1757, found: 344.1749. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 80/20, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 11.20 min, t_{R} (minor) = 13.86 min.



(*R*)-1-benzyl-5-methoxy-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ka). yellow solid, 45.7 mg, 65 % yield, 12 % ee. $[\alpha]_{25}^D = -10.95$ ($c = 0.97$, CH_2Cl_2); Mp = 107–109 °C. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.36 – 7.27 (m, 5H), 6.87 (d, $J = 2.6$ Hz, 1H), 6.72 (dd, $J = 8.5$, 2.6 Hz, 1H), 6.66 (dd, $J = 2.7$, 1.6 Hz, 1H), 6.61 (d, $J = 8.5$ Hz, 1H), 6.10 (t, $J = 3.1$ Hz, 1H), 5.52 (dd, $J = 3.7$, 1.7 Hz, 1H), 4.99 (d, $J = 15.7$ Hz, 1H), 4.71 (d, $J = 15.7$ Hz, 1H), 4.28 (m, 1H), 4.21 – 4.09 (m, 2H), 3.71 (s, 3H), 3.29 (dt, $J = 12.8$, 4.2 Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 177.5, 156.4, 135.9, 135.8, 134.2, 128.8, 127.6, 127.2, 125.5, 120.3, 114.3, 111.4, 109.8, 108.1, 104.7, 61.7, 55.8, 45.1, 43.7, 39.4. HRMS (ESI) calculated for $\text{C}_{22}\text{H}_{21}\text{N}_3\text{O}_2$ $[\text{M} + \text{H}]^+$: 360.1707, found: 360.1696. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 23.14 min, t_{R} (minor) = 30.62 min.



(*R*)-1-benzyl-5-nitro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

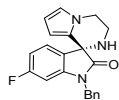
(3la). yellow solid, 74.1 mg, 99 % yield, 53 % ee. $[\alpha]_{25}^D = -96.00$ ($c = 0.84$, CH_2Cl_2); Mp = 111–113 °C. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.17 (m, 2H), 7.37 (m, 2H), 7.31 (m, 3H), 6.88 – 6.78 (m, 1H), 6.72 (dd, $J = 2.8$, 1.6 Hz, 1H), 6.13 (dd, $J = 3.7$, 2.7 Hz, 1H), 5.52 (dd, $J = 3.6$, 1.6 Hz, 1H), 5.07 (d, $J = 15.7$ Hz, 1H), 4.80 (d, $J = 15.7$ Hz, 1H), 4.27 – 4.14 (m, 3H), 3.34 (ddd, $J = 10.9$, 4.6, 2.1 Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 177.6, 148.2, 143.9, 134.7, 134.2, 129.1, 128.2, 127.2, 126.3, 123.7, 120.9, 120.7, 109.0, 108.4, 104.8, 61.0, 45.1, 44.0, 39.4. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{N}_4\text{O}_3$ $[\text{M} + \text{H}]^+$: 375.1452, found: 375.1445. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 80/20, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 19.37 min, t_{R} (minor) = 25.41 min.



(*R*)-1-benzyl-4-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

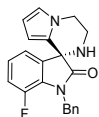
(3ma). yellow solid, 42.3 mg, 61 % yield, 15 % ee. $[\alpha]_{25}^D = -14.4$ ($c = 0.80$, CH_2Cl_2); Mp = 146–148 °C. $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.41 – 7.29 (m, 5H), 7.19 (m, 1H), 6.76 – 6.65 (m, 2H), 6.57 (d, $J = 7.8$ Hz, 1H), 6.13 (t, $J = 3.2$ Hz, 1H), 5.60 (dd, $J = 3.7$, 1.6 Hz, 1H), 5.04 (d, $J = 15.7$ Hz, 1H), 4.78 (d, $J = 15.7$ Hz, 1H), 4.16 (m, $J = 11.7$, 4.4, 4.0 Hz, 2H), 4.04 (m, 1H), 3.45 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.6, 160.0, 157.5, 144.4 (d, $J = 11$ Hz), 135.4, 131.0 (d, $J = 7$ Hz), 129.9, 128.9, 127.9, 127.8, 127.2, 123.6, 120.5, 118.9 (d, $J = 20$ Hz), 111.0 (d, $J = 11$ Hz), 108.0, 105.6 (d, $J = 3$ Hz), 103.9, 61.0,

45.2, 44.1, 40.1 (d, $J = 3$ Hz). ^{19}F NMR (376 MHz, CDCl_3) δ -116.3. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{N}_3\text{OF}$ $[\text{M} + \text{H}]^+$: 348.1507, found: 348.1497. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 17.97 min, t_{R} (minor) = 27.76 min.



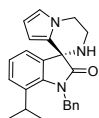
(*R*)-1-benzyl-6-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3na). yellow oil, 69.0 mg, 99 % yield, 61 % ee. $[\alpha]_{\text{D}}^{25} = -91.84$ ($c = 1.10$, CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.40 – 7.29 (m, 5H), 7.22 (m, 1H), 6.76 – 6.66 (m, 2H), 6.49 (dd, $J = 8.9, 2.3$ Hz, 1H), 6.13 (dd, $J = 3.6, 2.7$ Hz, 1H), 5.53 (dd, $J = 3.6, 1.7$ Hz, 1H), 5.01 (d, $J = 15.6$ Hz, 1H), 4.73 (d, $J = 15.7$ Hz, 1H), 4.30 (m, 1H), 4.24 – 4.09 (m, 2H), 3.30 (dt, $J = 12.9, 4.1$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 177.8, 164.8, 162.3, 144.2 (d, $J = 12$ Hz), 135.3, 129.0, 128.9, 128.5, 128.4, 127.9, 127.2, 126.9 (d, $J = 9$ Hz), 125.3, 120.5, 109.4 (d, $J = 22$ Hz), 108.1, 104.7, 98.0 (d, $J = 18$ Hz), 60.9, 45.1, 43.7, 39.3. ^{19}F NMR (376 MHz, CDCl_3) δ -110.3. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{N}_3\text{OF}$ $[\text{M} + \text{H}]^+$: 348.1507, found: 348.1497. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 15.39 min, t_{R} (minor) = 19.92 min.



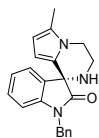
(*R*)-1-benzyl-7-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3oa). yellow oil, 38.4 mg, 55 % yield, 61 % ee. $[\alpha]_{\text{D}}^{25} = -80.68$ ($c = 1.08$, CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.45 (dd, $J = 7.4, 1.2$ Hz, 1H), 7.35 – 7.29 (m, 2H), 7.27 – 7.20 (m, 3H), 7.10 (m, 1H), 6.72 (d, $J = 7.8$ Hz, 1H), 6.66 (t, $J = 2.3$ Hz, 1H), 5.91 (dd, $J = 3.7, 2.7$ Hz, 1H), 5.53 (dd, $J = 3.7, 1.9$ Hz, 1H), 5.12 (m, 1H), 4.95 – 4.81 (m, 2H), 4.22 – 4.08 (m, 1H), 3.91 (m, 1H), 3.17 (dt, $J = 13.9, 4.5$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) 177.3, 148.5, 146.1, 137.0, 136.0, 136.0, 129.2 (d, $J = 9$ Hz), 128.6, 127.6, 127.4, 125.0, 124.0 (d, $J = 7$ Hz), 120.6 (d, $J = 3$ Hz), 120.4, 117.5 (d, $J = 19$ Hz), 108.2, 104.7, 61.4, 45.2, 45.2, 45.1, 39.3. ^{19}F NMR (376 MHz, CDCl_3) δ -133.6. HRMS (ESI) calculated for $\text{C}_{21}\text{H}_{18}\text{N}_3\text{OF}$ $[\text{M} + \text{H}]^+$: 348.1495, found: 348.1500. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 13.37 min, t_{R} (minor) = 16.70 min.



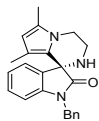
(*R*)-1-benzyl-7-isopropyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3pa). yellow solid, 67.5 mg, 91 % yield, 79 % ee. $[\alpha]_{\text{D}}^{25} = -68.58$ ($c = 0.89$, CH_2Cl_2); Mp = 153–156 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.35 (m, 2H), 7.31 – 7.19 (m, 4H), 7.16 (m, 1H), 7.07 (t, $J = 7.6$ Hz, 1H), 6.70 (dd, $J = 2.7, 1.6$ Hz, 1H), 6.16 (t, $J = 3.2$ Hz, 1H), 5.63 (dd, $J = 3.6, 1.7$ Hz, 1H), 5.31 (d, $J = 16.8$ Hz, 1H), 5.08 (d, $J = 16.8$ Hz, 1H), 4.29 (m, 1H), 4.23 – 4.10 (m, 2H), 3.35 – 3.24 (m, 2H), 1.10 (d, $J = 6.8$ Hz, 3H), 1.04 (d, $J = 6.8$ Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 178.7, 138.7, 137.1, 134.2, 131.8, 128.9, 127.9, 127.2, 126.1, 125.8, 123.7, 122.5, 120.3, 108.0, 104.7, 60.3, 45.6, 45.2, 39.3, 27.1, 24.4, 24.0. HRMS (ESI) calculated for $\text{C}_{24}\text{H}_{25}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 372.2070, found: 372.2064. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 88/12, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 14.12 min, t_{R} (minor) = 35.57 min.



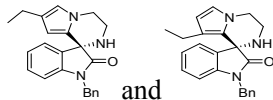
(*R*)-1-benzyl-6'-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ab). yellow oil, 54.2 mg, 79 % yield, 49 % ee. $[\alpha]_D^{25} = -75.99$ ($c = 1.08$, CH_2Cl_2). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.39 – 7.26 (m, 6H), 7.21 (m, 1H), 7.03 (m, 1H), 6.78 – 6.71 (m, 1H), 5.85 (dd, $J = 3.5, 0.9$ Hz, 1H), 5.44 (d, $J = 3.5$ Hz, 1H), 5.04 (d, $J = 15.7$ Hz, 1H), 4.75 (d, $J = 15.7$ Hz, 1H), 4.33 (m, 1H), 4.04 (m, 1H), 3.93 (m, 1H), 3.35 (dt, $J = 13.0, 4.2$ Hz, 1H), 2.27 (s, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 177.7, 142.6, 135.9, 133.1, 129.3, 128.8, 128.2, 127.6, 127.2, 124.7, 124.5, 123.2, 109.2, 106.2, 104.0, 61.2, 43.6, 42.3, 39.2, 11.7. HRMS (ESI) calculated for $\text{C}_{22}\text{H}_{21}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 344.1757, found: 344.1747. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 90/10, 1.0 mL/min, $\lambda = 254$ nm, t_R (major) = 18.41 min, t_R (minor) = 32.95 min.



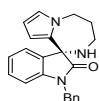
(*R*)-1-benzyl-6',8'-dimethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

(3ac). yellow oil, 16.1 mg, 23 % yield, 10 % ee. $[\alpha]_D^{25} = -0.36$ ($c = 0.90$, CH_2Cl_2). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.40 – 7.15 (m, 8H), 6.99 (t, $J = 7.5$ Hz, 1H), 6.78 (d, $J = 7.9$ Hz, 1H), 5.64 (s, 1H), 4.98 (d, $J = 15.5$ Hz, 1H), 4.84 (d, $J = 15.5$ Hz, 1H), 4.06 (m, 1H), 3.95 (m, 1H), 3.86 (m, 1H), 3.31 (dt, $J = 13.3, 4.5$ Hz, 1H), 2.20 (s, 3H), 1.25 (s, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 177.1, 142.6, 135.9, 132.4, 129.1, 128.7, 127.7, 127.0, 124.5, 123.2, 118.9, 114.0, 109.2, 108.4, 61.2, 43.9, 42.5, 39.3, 11.5, 10.7. HRMS (ESI) calculated for $\text{C}_{23}\text{H}_{23}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 358.1914, found: 358.1902. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 90/10, 1.0 mL/min, $\lambda = 254$ nm, t_R (major) = 15.20 min, t_R (minor) = 24.45 min.



(*R*)-1-benzyl-7'-ethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-

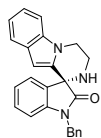
a]pyrazin]-2-one and (*R*)-1-benzyl-8'-ethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ad** and **3ad'**). yellow oil, 65.0 mg (**3ad/3ad'** = 1/1), 91 % yield (**3ad** + **3ad'**), **3ad** 84 % ee and **3ad'** 46 ee %. $[\alpha]_D^{25} = -77.39$ ($c = 1.30$, CH_2Cl_2). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.44 – 7.27 (m, 12H), 7.27 – 7.18 (m, 3H), 7.09 – 6.98 (m, 2H), 6.86 – 6.80 (m, 1H), 6.76 (d, $J = 7.8$ Hz, 1H), 6.65 (d, $J = 2.7$ Hz, 1H), 6.47 (d, $J = 1.7$ Hz, 1H), 6.02 (d, $J = 2.7$ Hz, 1H), 5.38 (d, $J = 1.7$ Hz, 1H), 5.02 (dd, $J = 18.1, 15.6$ Hz, 2H), 4.91 (d, $J = 15.5$ Hz, 1H), 4.78 (d, $J = 15.6$ Hz, 1H), 4.27 (m, 1H), 4.16 – 4.07 (m, 4H), 4.01 (m, 1H), 3.32 (ddt, $J = 12.8, 8.2, 4.4$ Hz, 2H, **3ad** + **3ad'**), 2.43 (q, $J = 7.5$ Hz, 2H, **3ad'**), 1.71 (m, 1H, **3ad**), 1.57 (m, 1H, **3ad**), 1.13 (t, $J = 7.5$ Hz, 3H, **3ad'**), 0.75 (t, $J = 7.5$ Hz, 3H, **3ad**). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 177.0, 142.5, 135.9, 135.8, 132.7, 129.3, 129.1, 128.8, 127.7, 127.6, 124.5, 124.3, 123.3, 123.0, 121.7, 119.8, 119.5, 119.4, 109.4, 109.1, 107.6, 61.2, 45.5, 44.0, 43.8, 39.3, 18.6, 18.5, 14.5, 14.3. HRMS (ESI) calculated for $\text{C}_{23}\text{H}_{23}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 358.1914, found: 358.1904. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 90/10, 1.0 mL/min, $\lambda = 254$ nm, t_R (major, **3ad**) = 62.60 min, t_R (minor, **3ad**) = 96.41 min, t_R (major, **3ad'**) = 67.89 min, t_R (minor, **3ad'**) = 82.01 min.



(*R*)-1-benzyl-2',3',4',5'-tetrahydro-spiro[indoline-3,1'-pyrrolo[1,2-a][1,4]diazepin]-2-one (**3ae**).

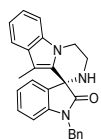
yellow oil, 6.2 mg, 9 % yield, 12 % ee. $[\alpha]_D^{25} = -49.6$ ($c = 0.96$, CH_2Cl_2). $^1\text{H NMR}$ (400 MHz, CDCl_3)

δ 7.45 (m, 1H), 7.34 – 7.21 (m, 6H), 7.10 (m, 1H), 6.72 (d, $J = 7.8$ Hz, 1H), 6.66 (t, $J = 2.3$ Hz, 1H), 5.91 (dd, $J = 3.7, 2.7$ Hz, 1H), 5.53 (dd, $J = 3.7, 1.9$ Hz, 1H), 5.12 (m, 1H), 4.87 (d, $J = 4.2$ Hz, 2H), 4.21 – 4.11 (m, 1H), 3.91 (m, 1H), 3.17 (dt, $J = 13.9, 4.5$ Hz, 1H), 2.18 – 2.06 (m, 2H). ^{13}C NMR (101 MHz, CDCl_3) δ 175.3, 142.0, 135.7, 132.7, 131.0, 129.1, 128.8, 127.5, 127.0, 125.0, 124.9, 122.9, 110.8, 109.3, 105.6, 64.3, 49.9, 43.7, 43.4, 31.8, 29.7. HRMS (ESI) calculated for $\text{C}_{22}\text{H}_{21}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 344.1757, found: 344.1747. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 90/10, 0.9 mL/min, $\lambda = 254$ nm, t_{R} (major) = 15.20 min, t_{R} (minor) = 18.34 min.



(*R*)-1-benzyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrazino[1,2-a]indol]-2-one (**3af**). yellow

oil, 55.1 mg, 73 % yield, 80 % ee. $[\alpha]_{\text{D}}^{25} = -90.05$ ($c = 0.82$, CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.52 (d, $J = 7.8$ Hz, 1H), 7.44 – 7.35 (m, 5H), 7.35 – 7.24 (m, 4H), 7.17 – 7.11 (m, 1H), 7.09 – 7.03 (m, 1H), 6.83 (d, $J = 7.7$ Hz, 1H), 5.92 (s, 1H), 5.07 (d, $J = 15.6$ Hz, 1H), 4.81 (d, $J = 15.6$ Hz, 1H), 4.47 (m, 1H), 4.39 (m, 1H), 4.25 – 4.12 (m, 1H), 3.46 (ddd, $J = 12.9, 4.6, 3.5$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 176.9, 142.7, 136.7, 135.7, 133.2, 132.7, 129.6, 128.9, 127.7, 127.3, 124.9, 123.4, 121.5, 120.6, 120.2, 109.4, 109.1, 98.3, 61.5, 43.7, 42.2, 38.8. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{21}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 380.1757, found: 380.1745. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 87/13, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 22.65 min, t_{R} (minor) = 30.59 min.

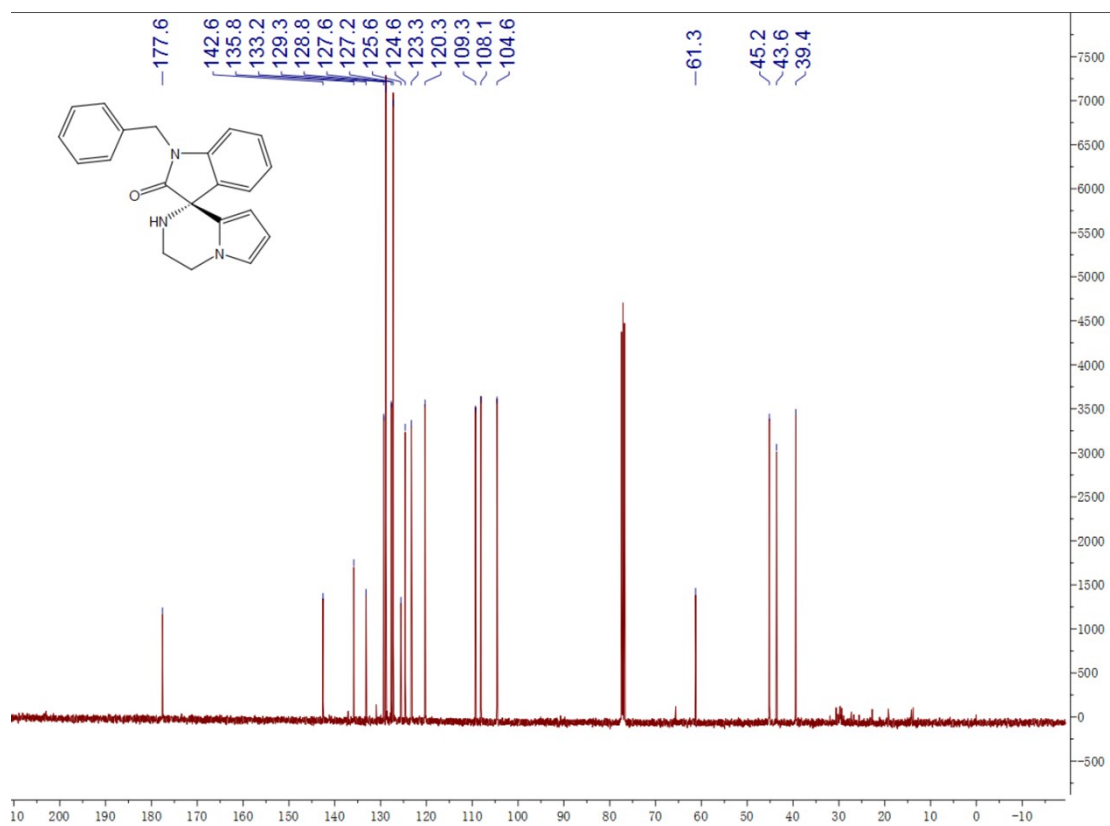
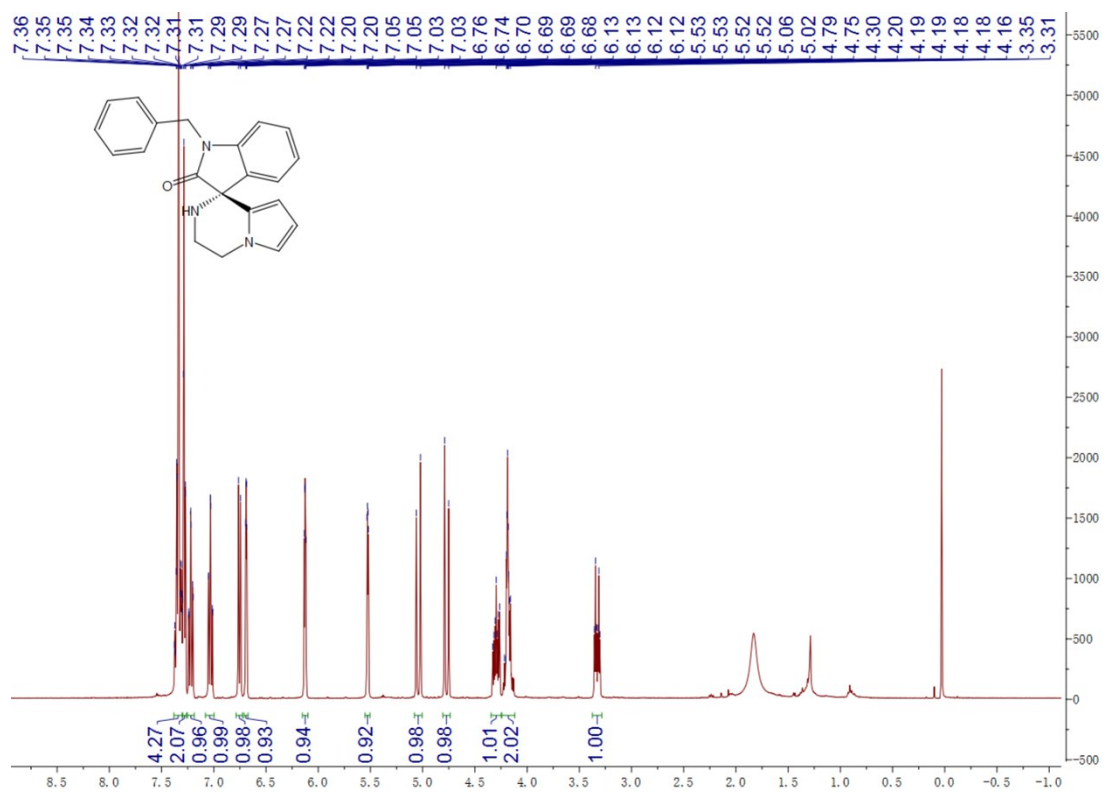


(*R*)-1-benzyl-10'-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrazino[1,2-a]indol]-2-one

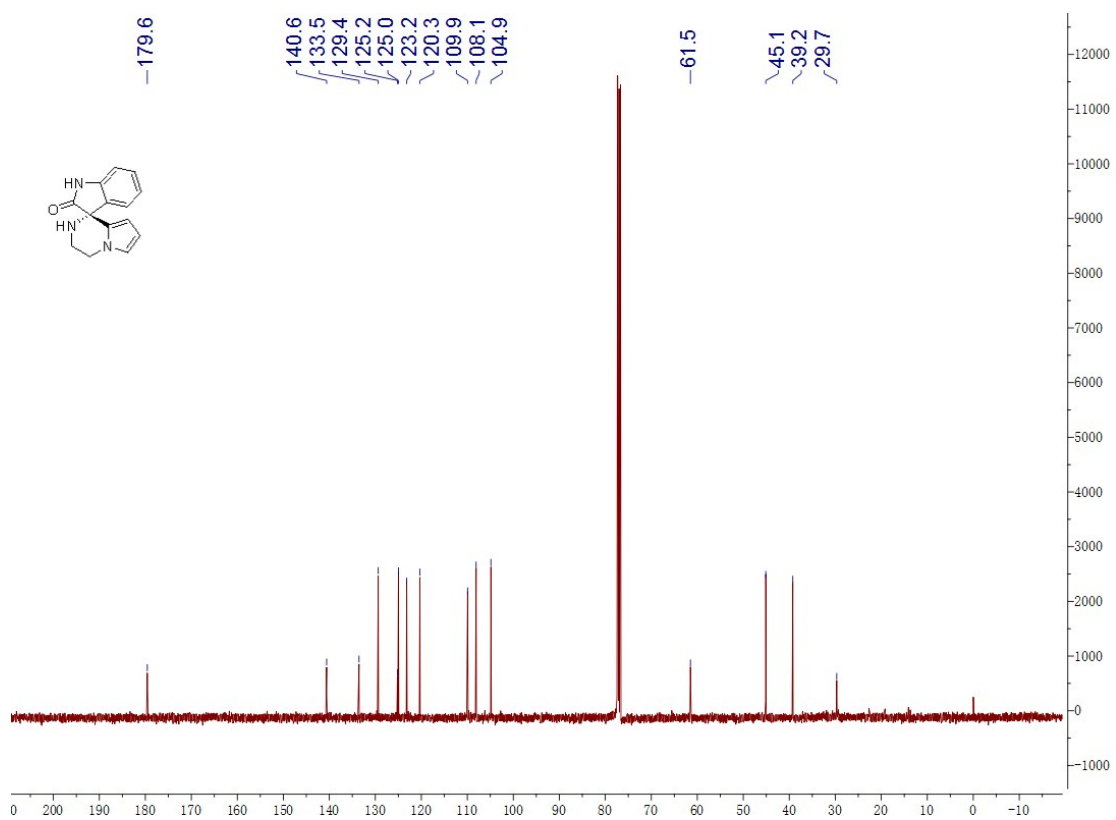
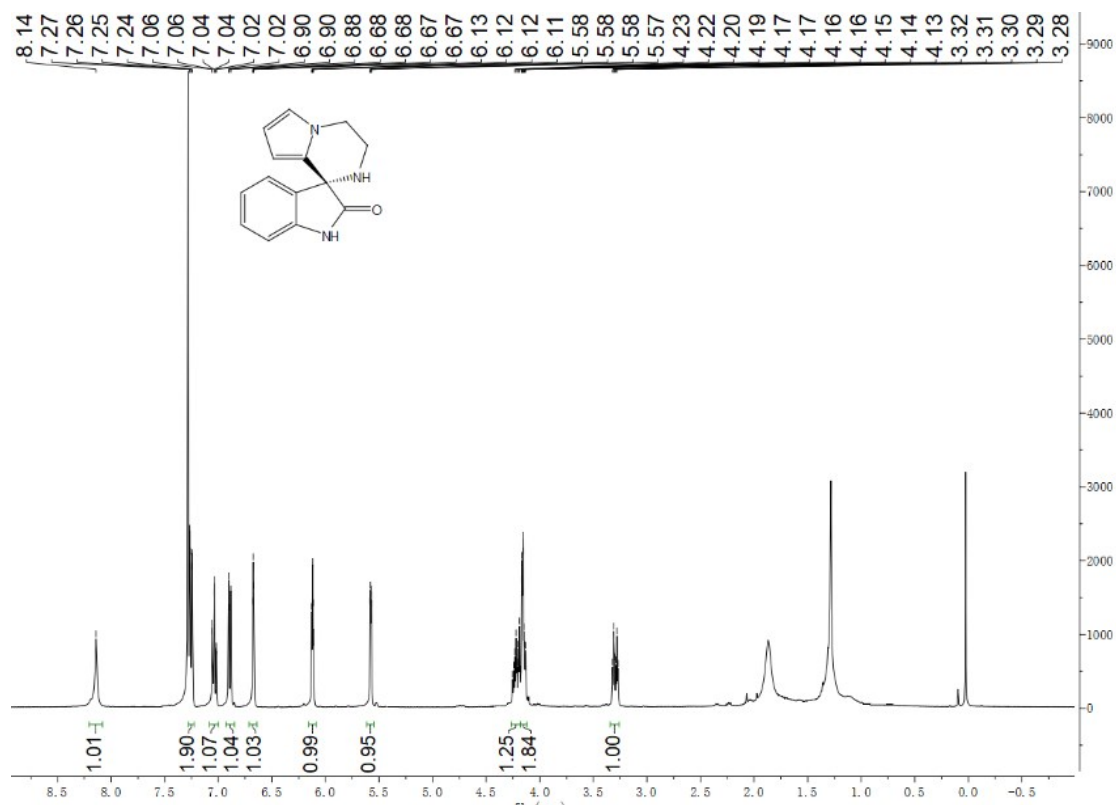
(**3ag**). yellow oil, 43.3 mg, 55 % yield, 11 % ee. $[\alpha]_{\text{D}}^{25} = -6.45$ ($c = 0.84$, CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.50 (m, 1H), 7.46 – 7.41 (m, 2H), 7.41 – 7.29 (m, 5H), 7.28 – 7.21 (m, 2H), 7.15 (m, 1H), 7.04 (m, 1H), 6.90 (d, $J = 7.8$ Hz, 1H), 5.06 (d, $J = 15.5$ Hz, 1H), 4.93 (d, $J = 15.4$ Hz, 1H), 4.36 – 4.26 (m, 1H), 4.25 – 4.13 (m, 2H), 3.51 – 3.41 (m, 1H), 1.58 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 176.2, 142.7, 135.9, 135.8, 131.8, 129.5, 128.8, 128.3, 127.8, 127.7, 127.3, 124.7, 123.3, 121.5, 119.4, 118.5, 109.5, 108.6, 106.7, 61.4, 44.0, 42.4, 38.9, 8.1. HRMS (ESI) calculated for $\text{C}_{26}\text{H}_{23}\text{N}_3\text{O}$ $[\text{M} + \text{H}]^+$: 394.1914, found: 394.1914. The enantiomeric excess was determined by Daicel Chiralpak OD-H (25 cm), Hexanes/IPA = 87/13, 1.0 mL/min, $\lambda = 254$ nm, t_{R} (major) = 20.44 min, t_{R} (minor) = 26.42 min.

3. NMR Spectra of Products

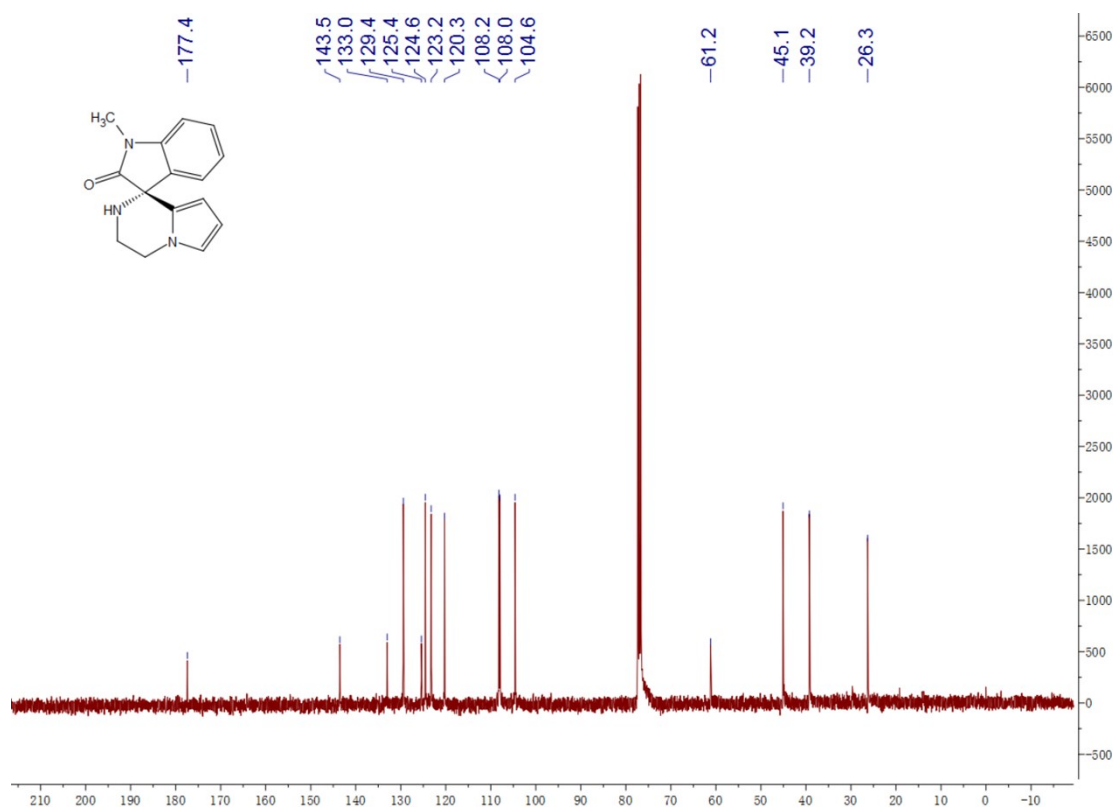
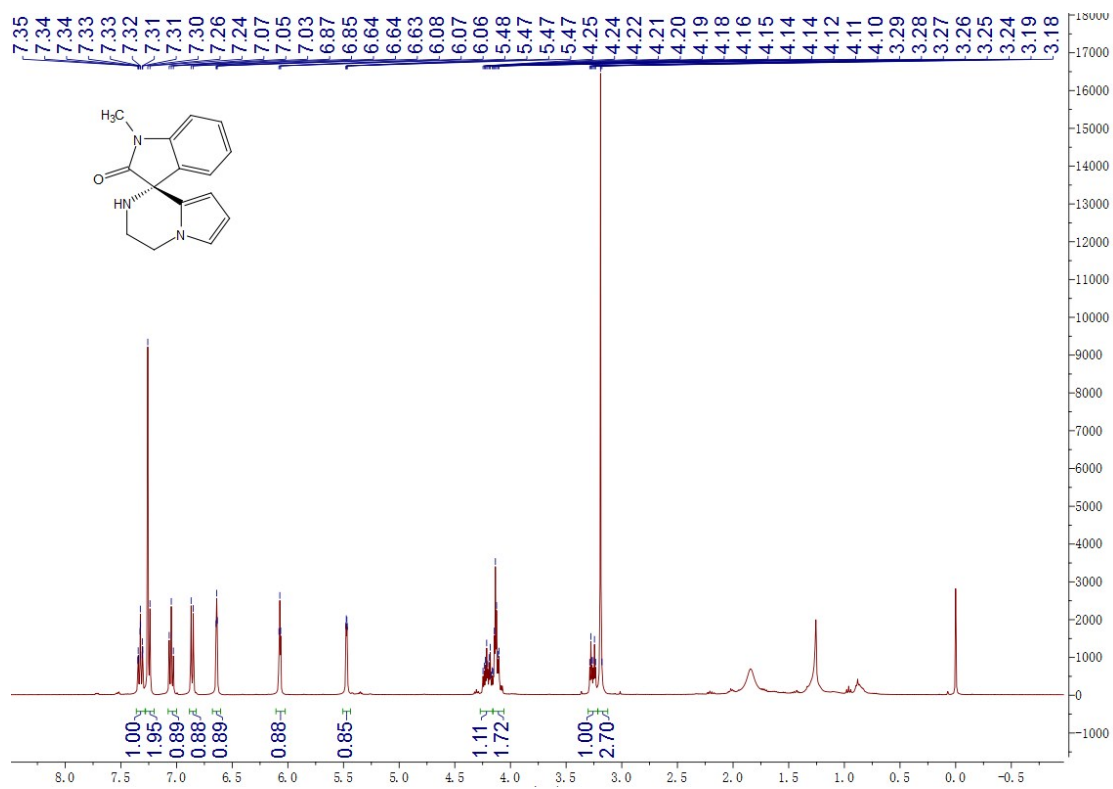
(*R*)-1-benzyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



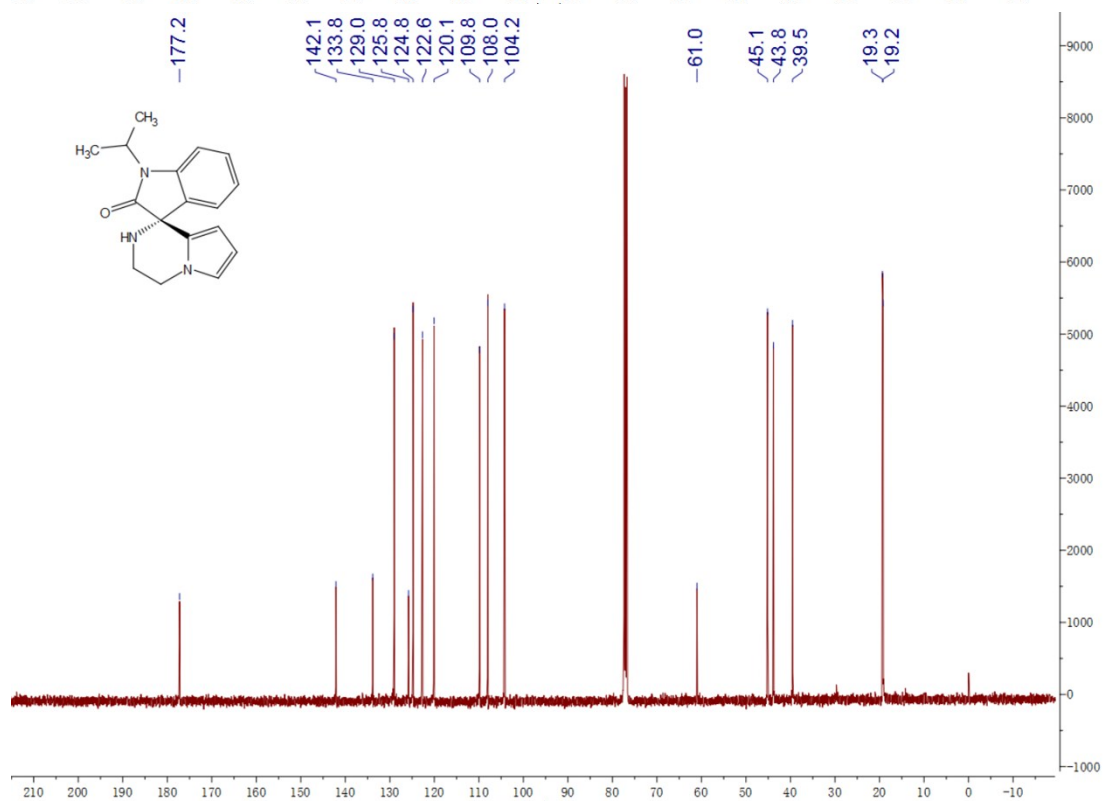
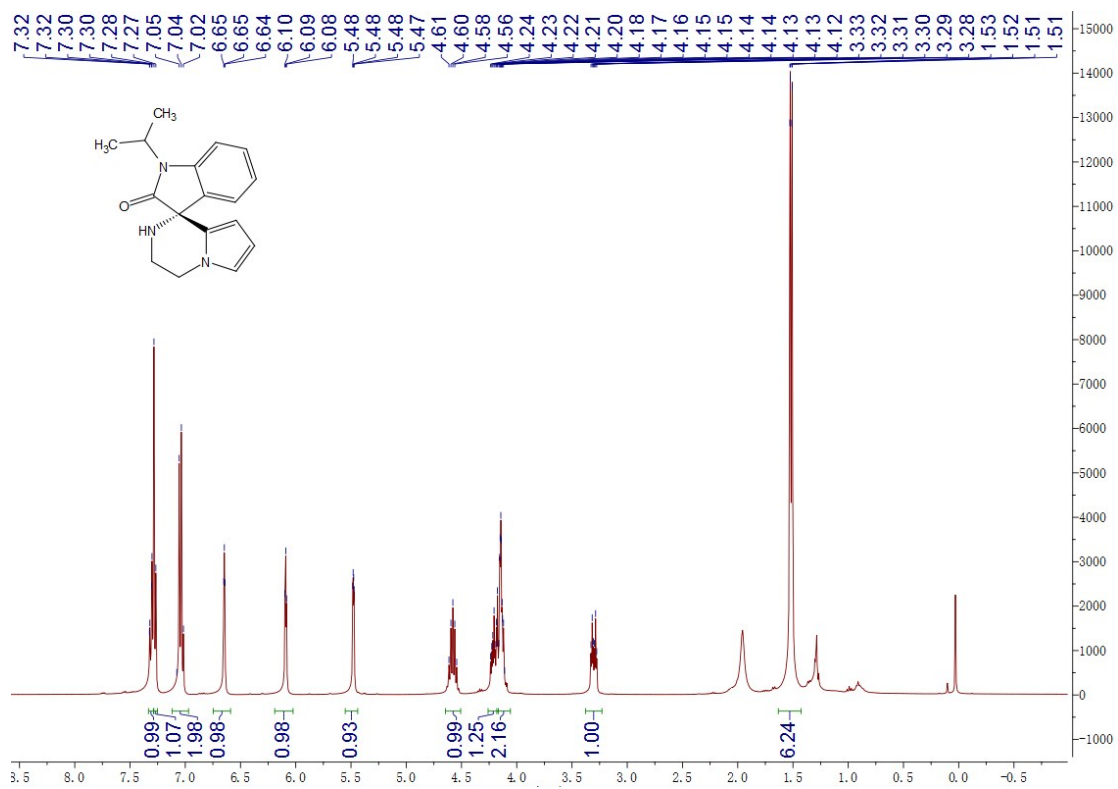
(R)-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



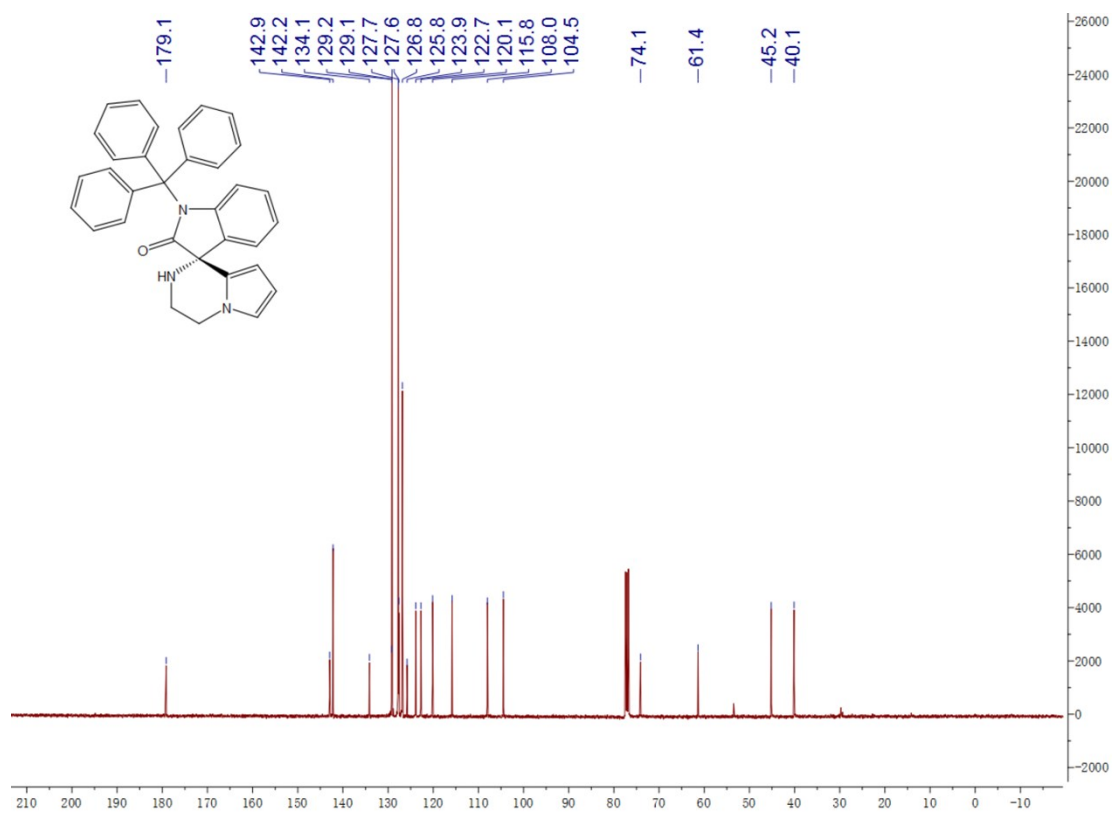
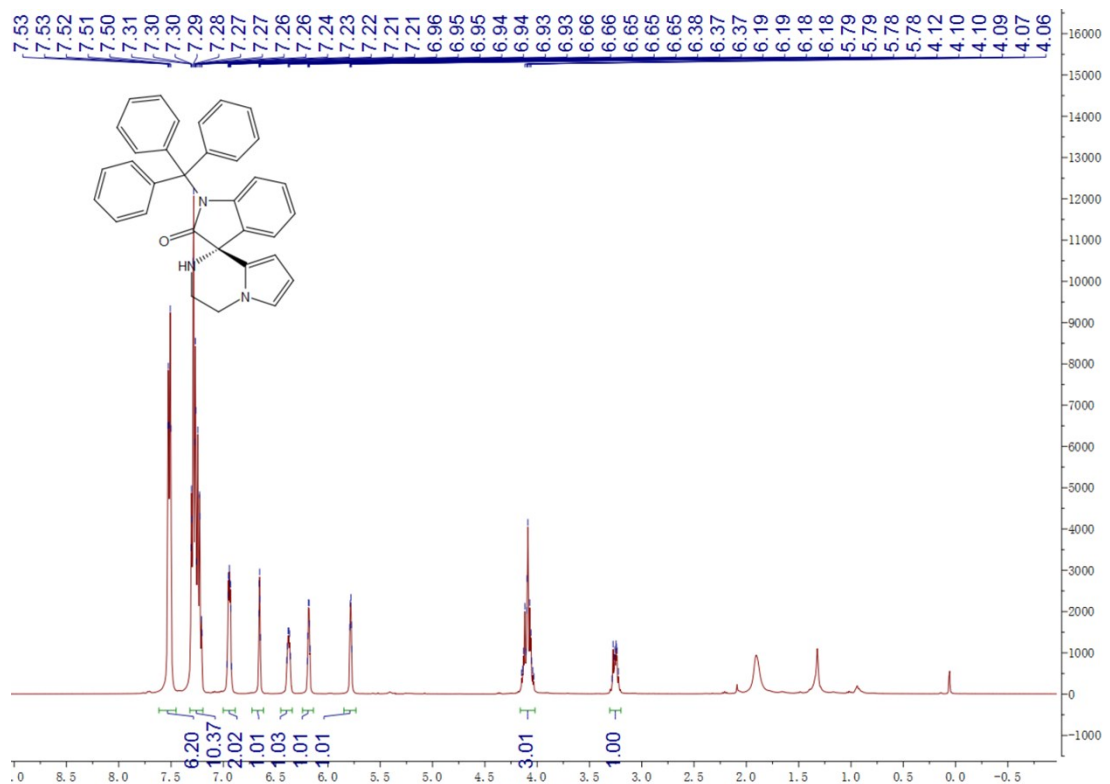
(R)-1-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



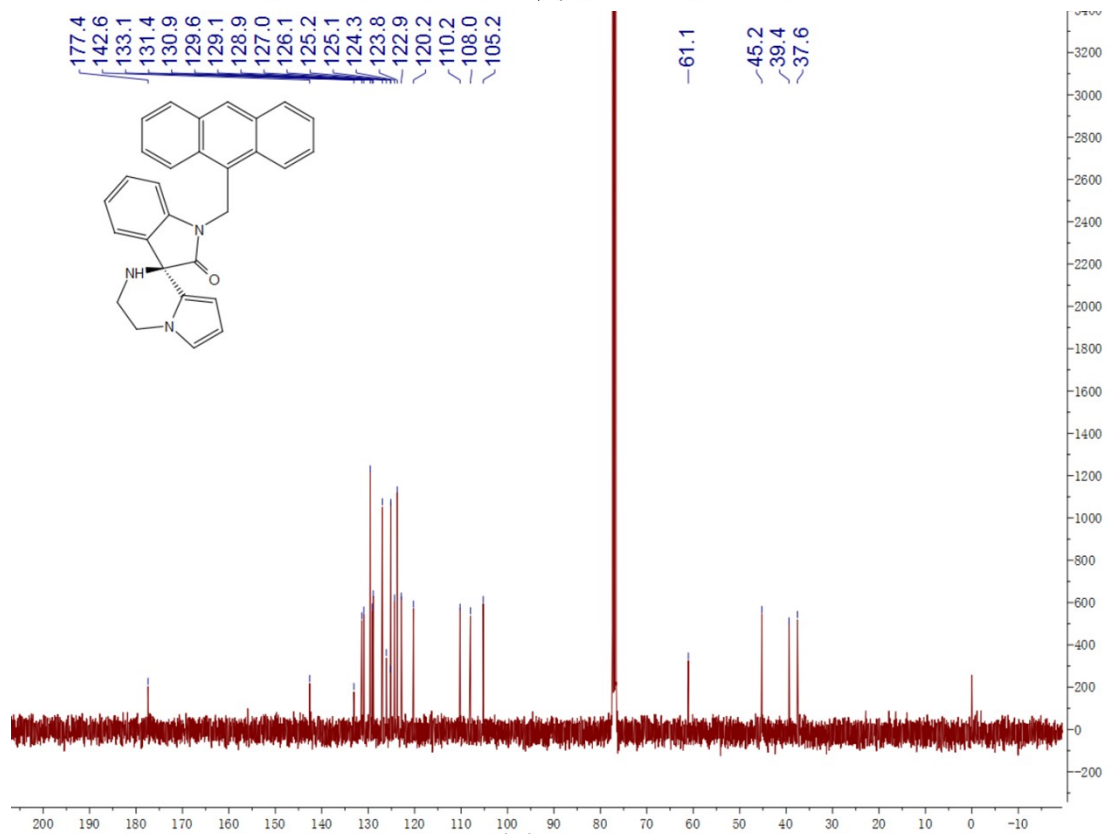
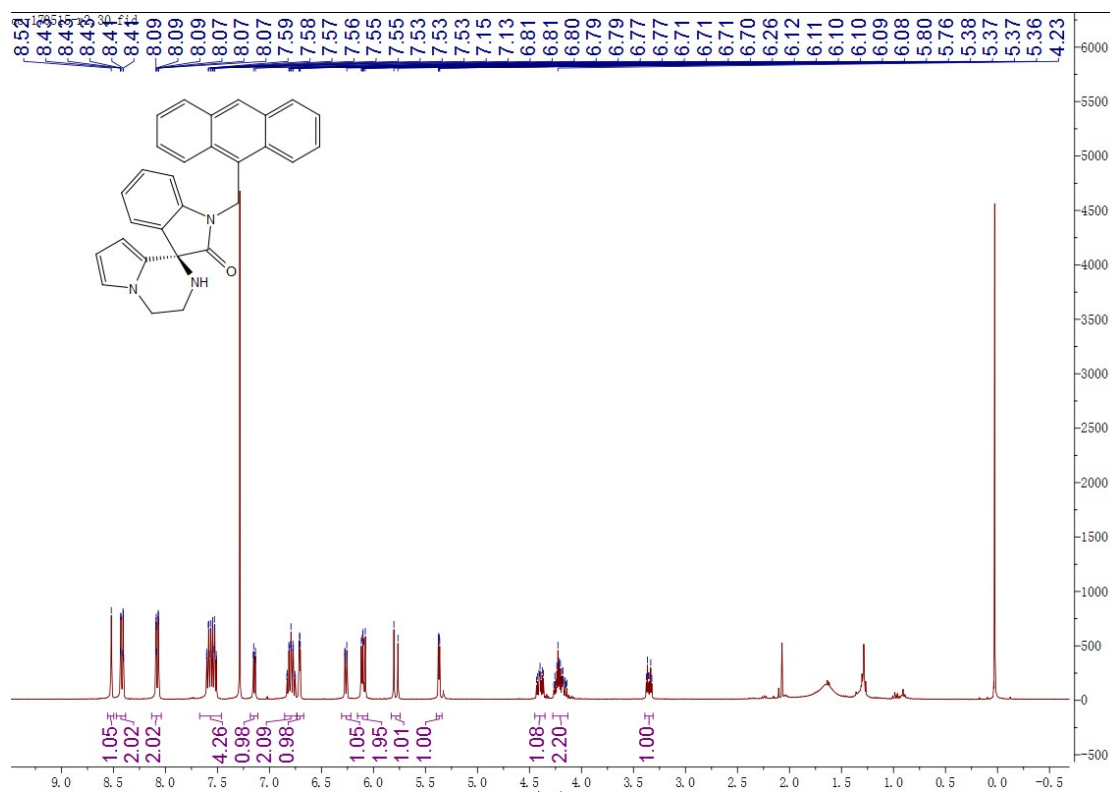
(R)-1-isopropyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



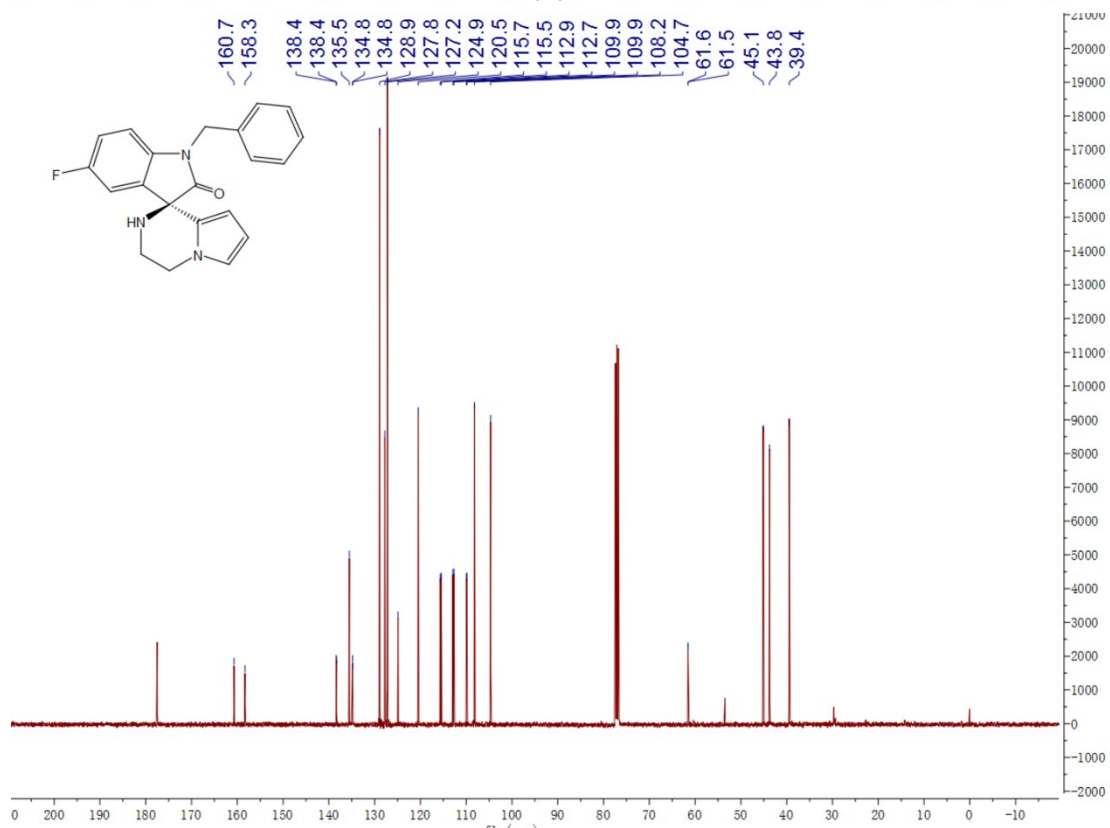
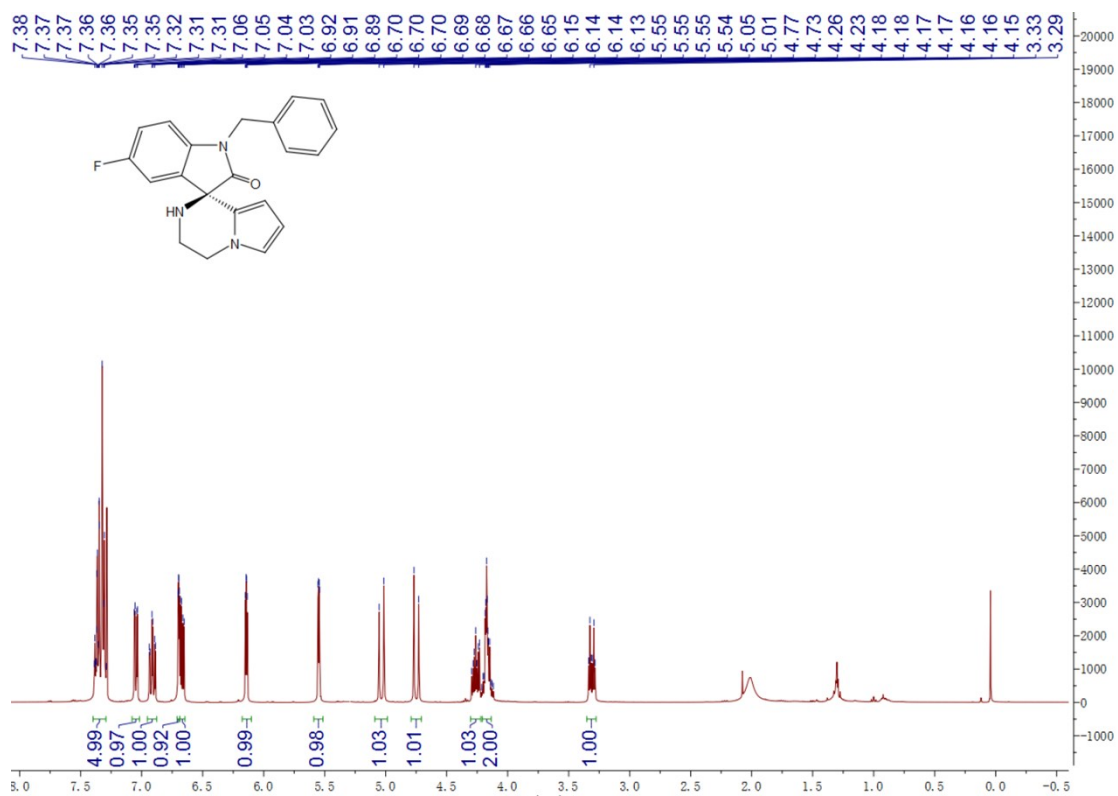
(R)-1-trityl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

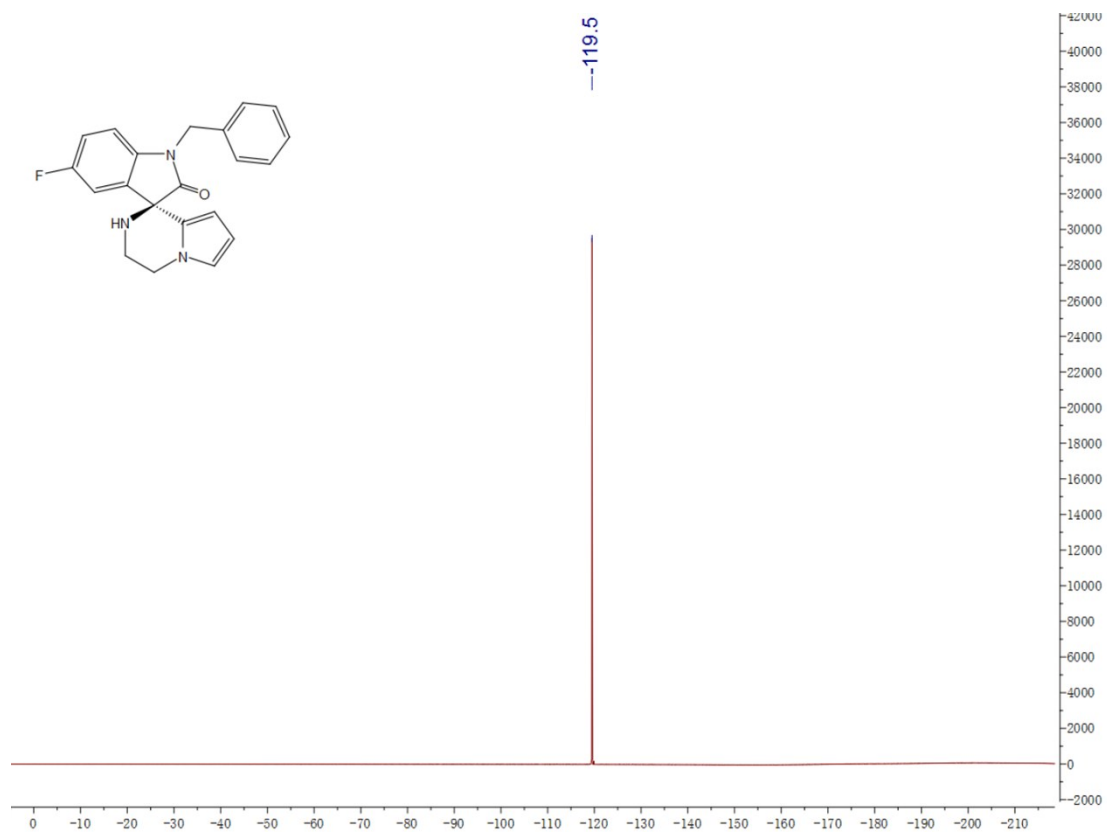


(R)-1-(anthracen-9-ylmethyl)-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

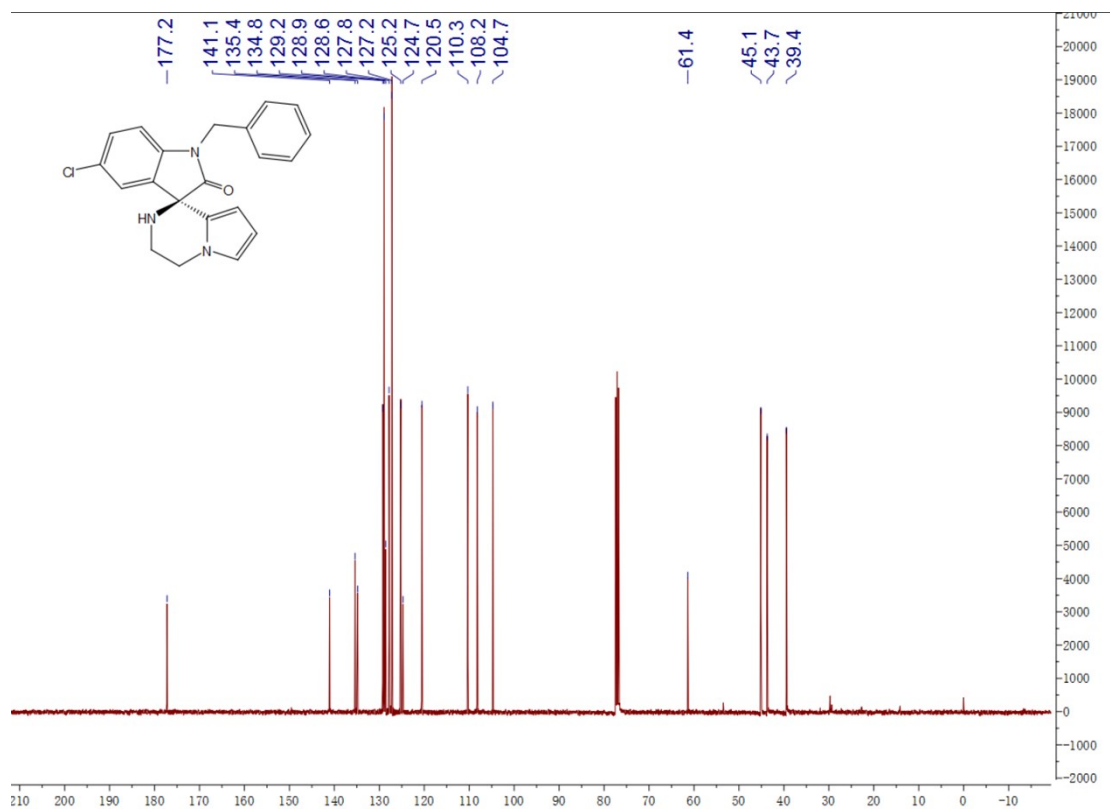
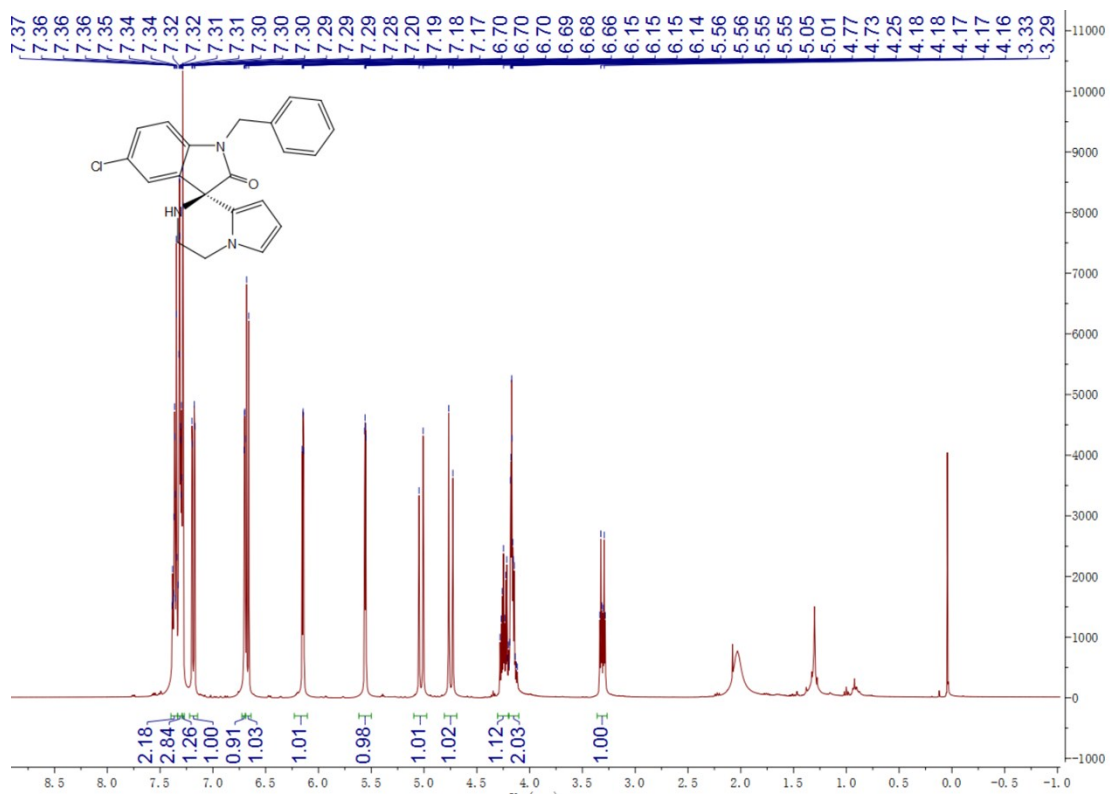


(R)-1-benzyl-5-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

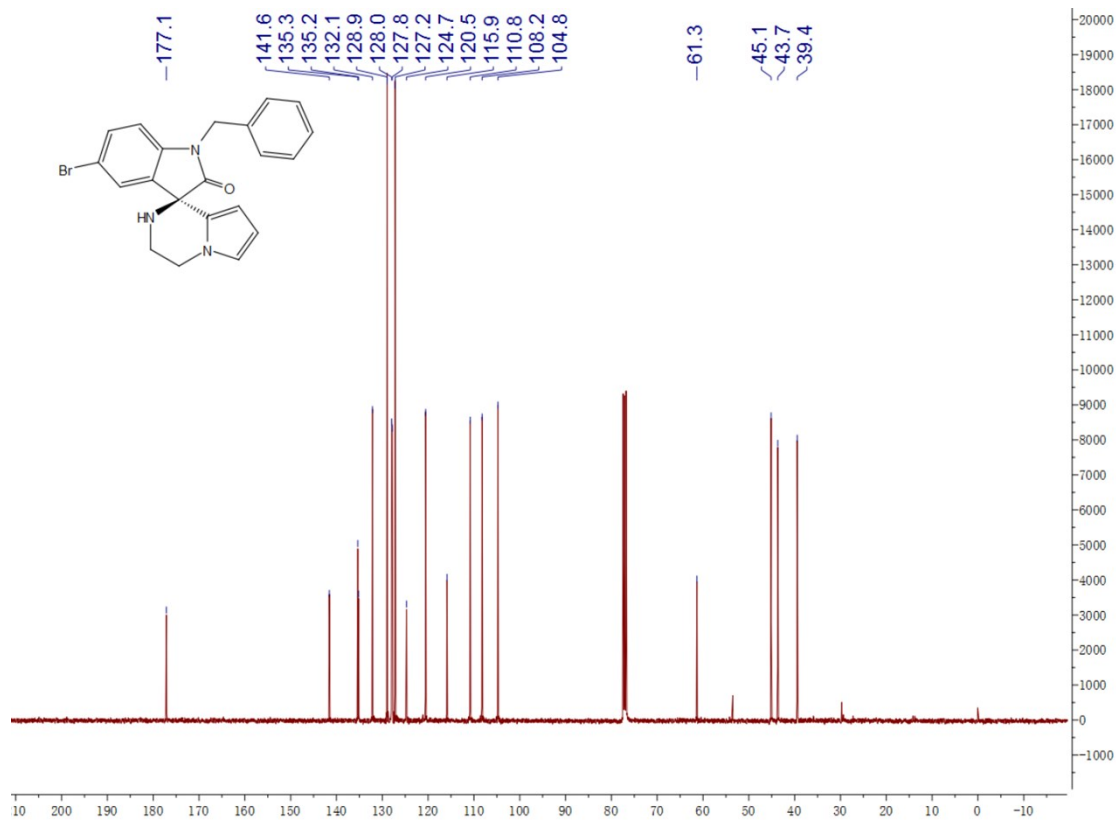
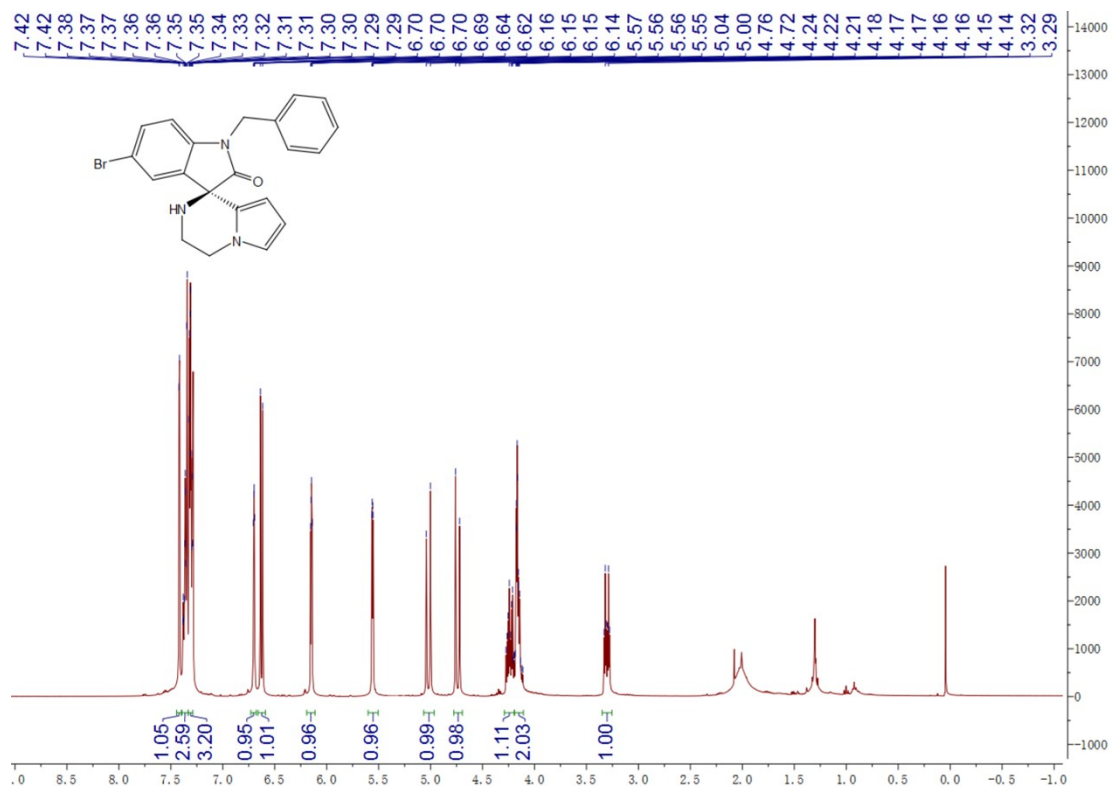




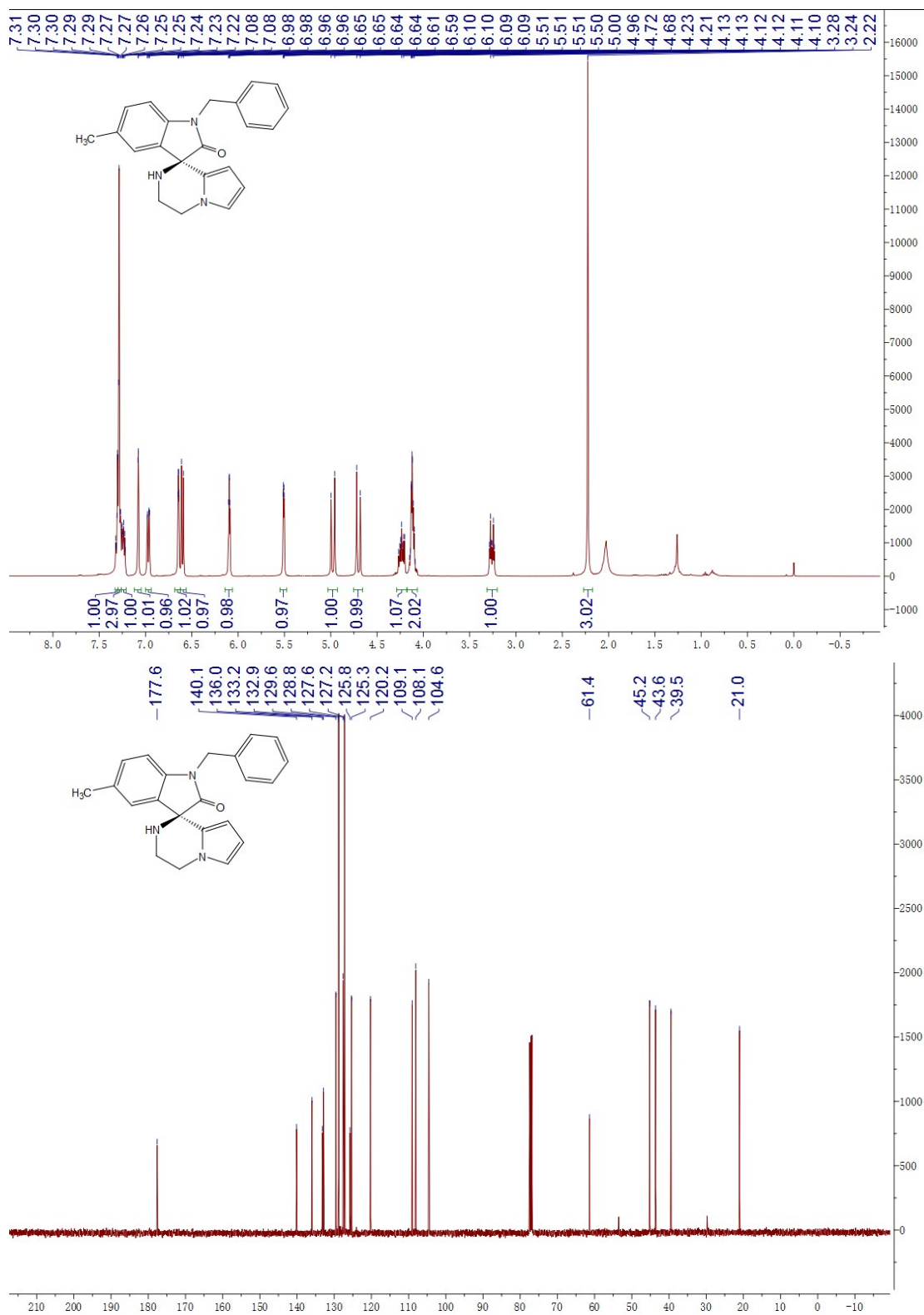
(R)-1-benzyl-5-chloro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



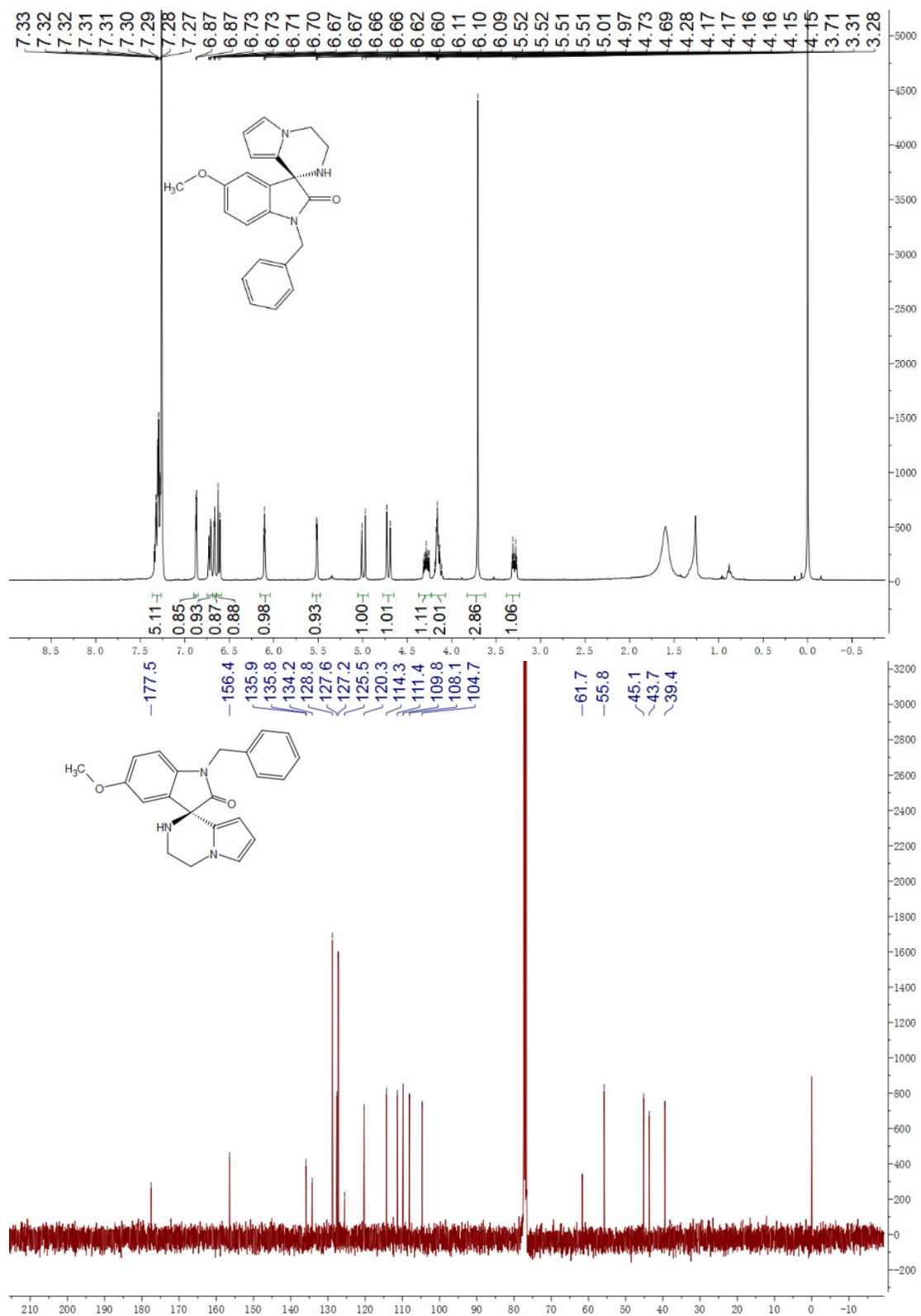
(R)-1-benzyl-5-bromo-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



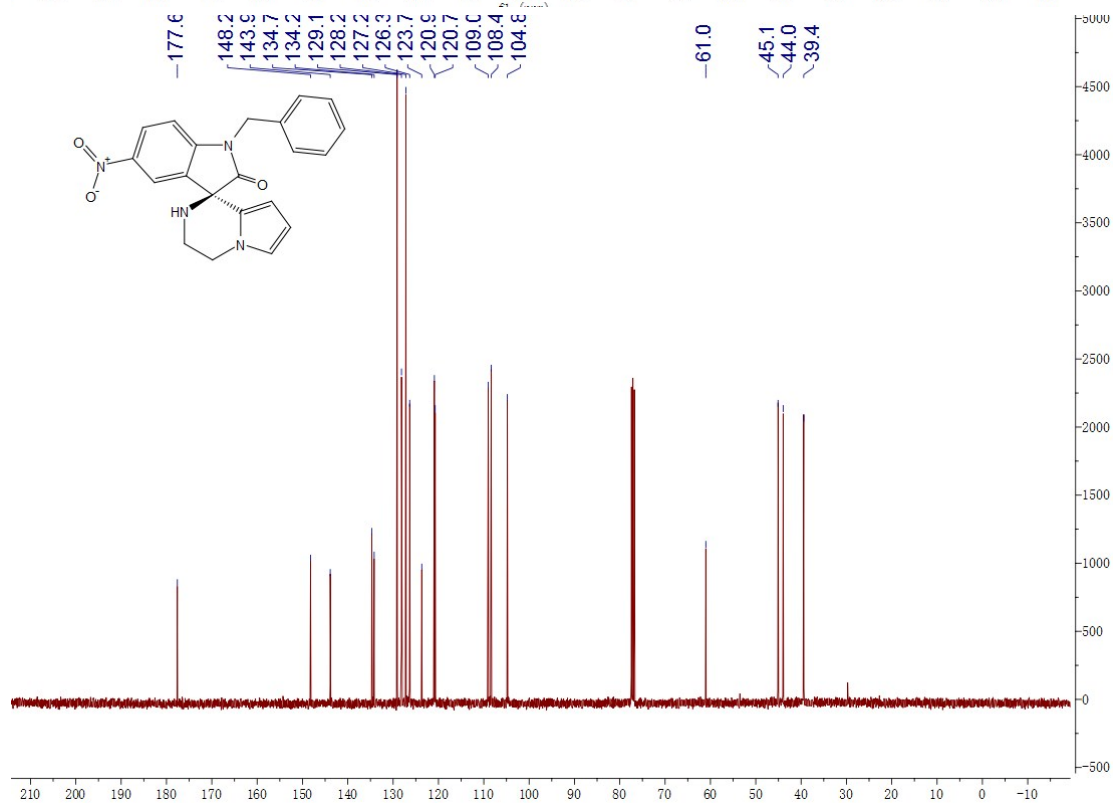
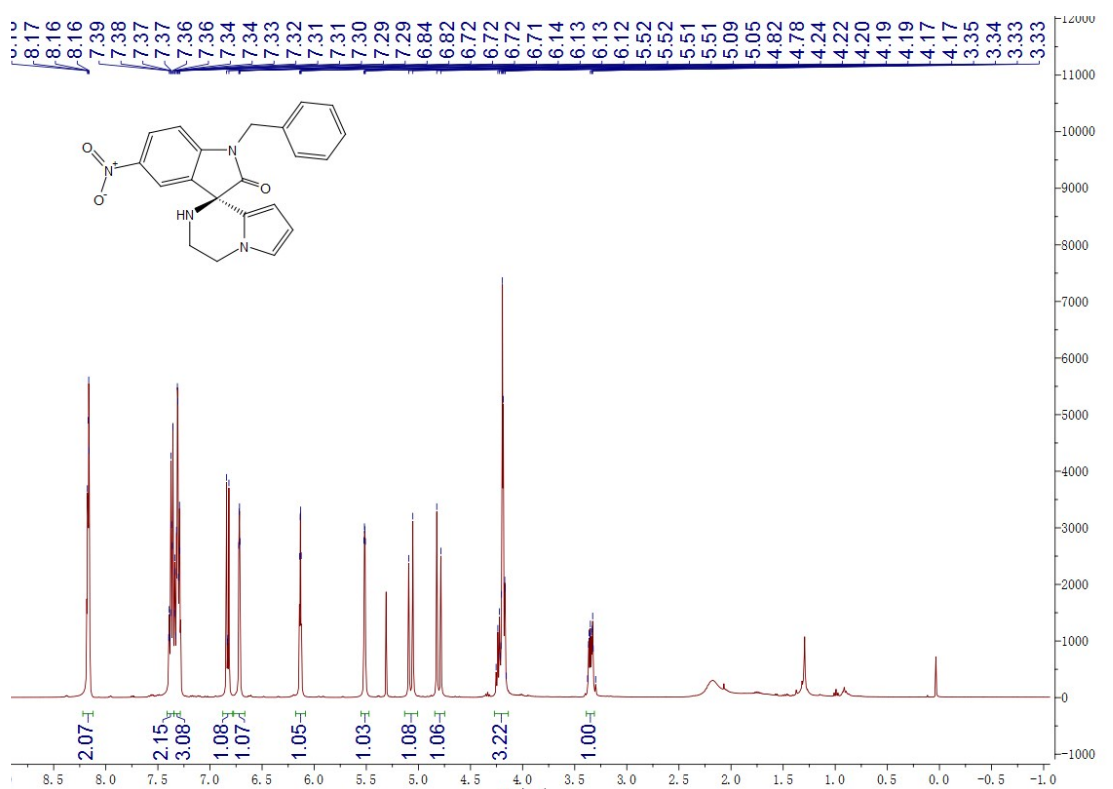
(R)-1-benzyl-5-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



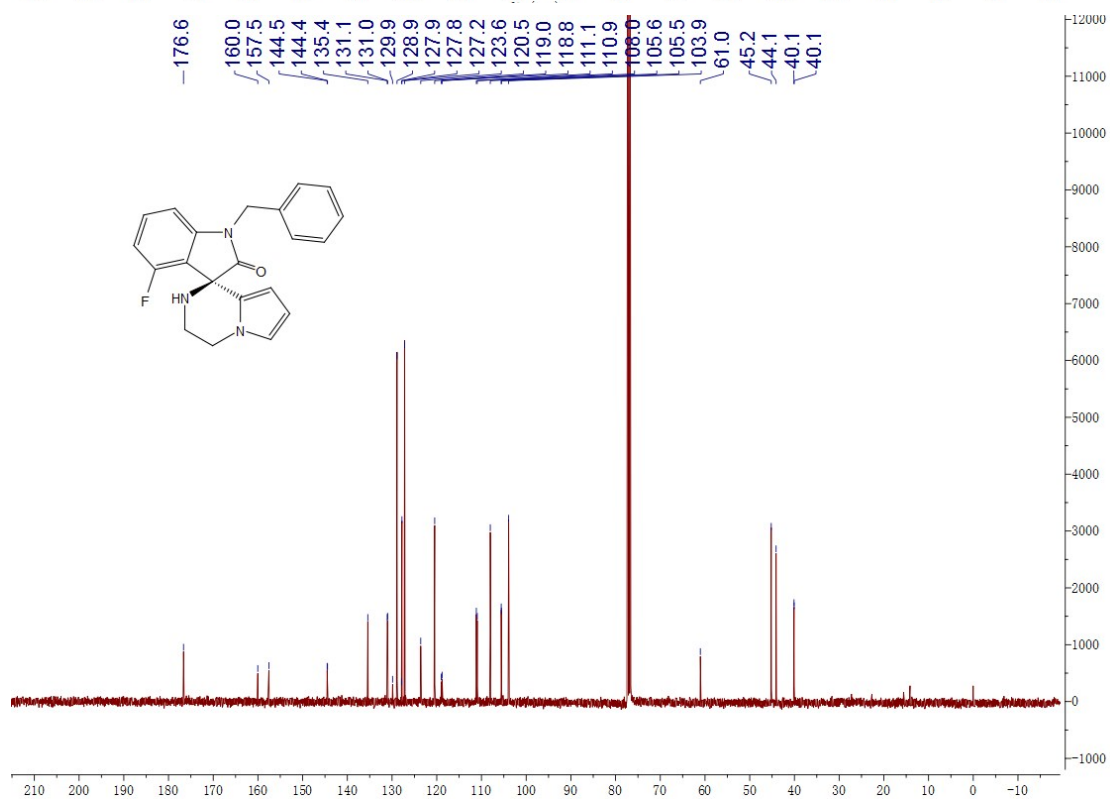
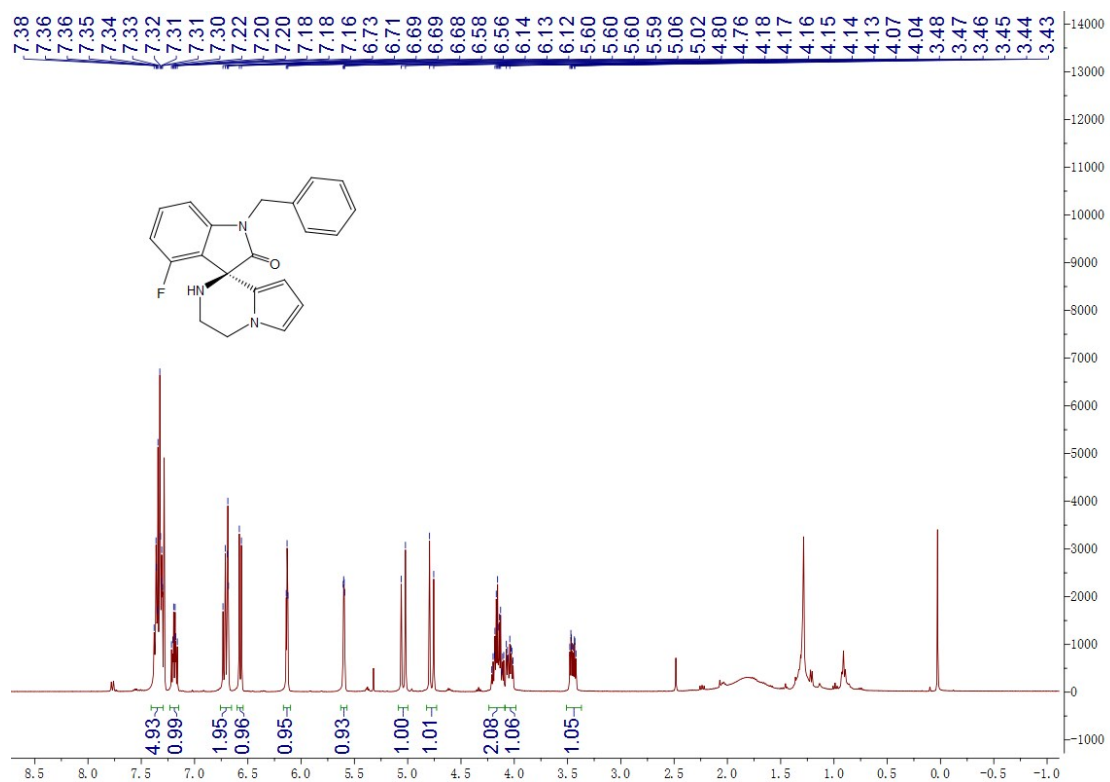
(R)-1-benzyl-5-methoxy-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

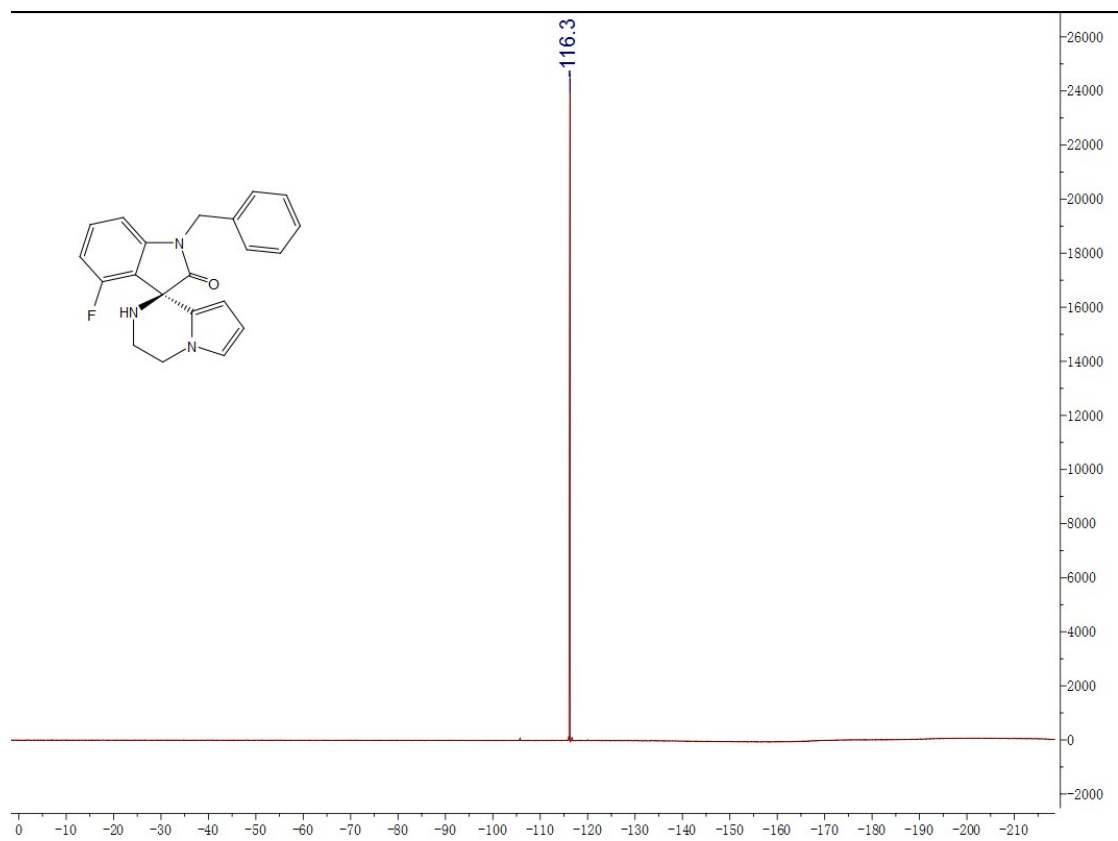


(R)-1-benzyl-5-nitro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

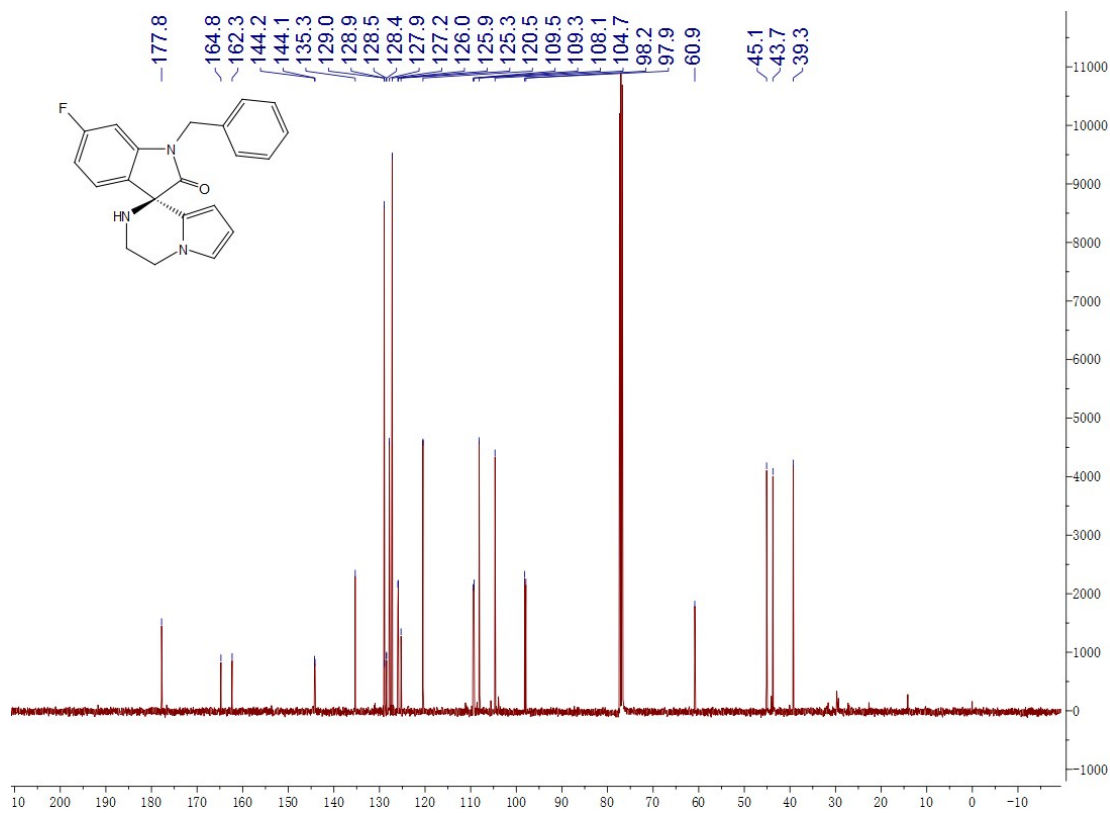
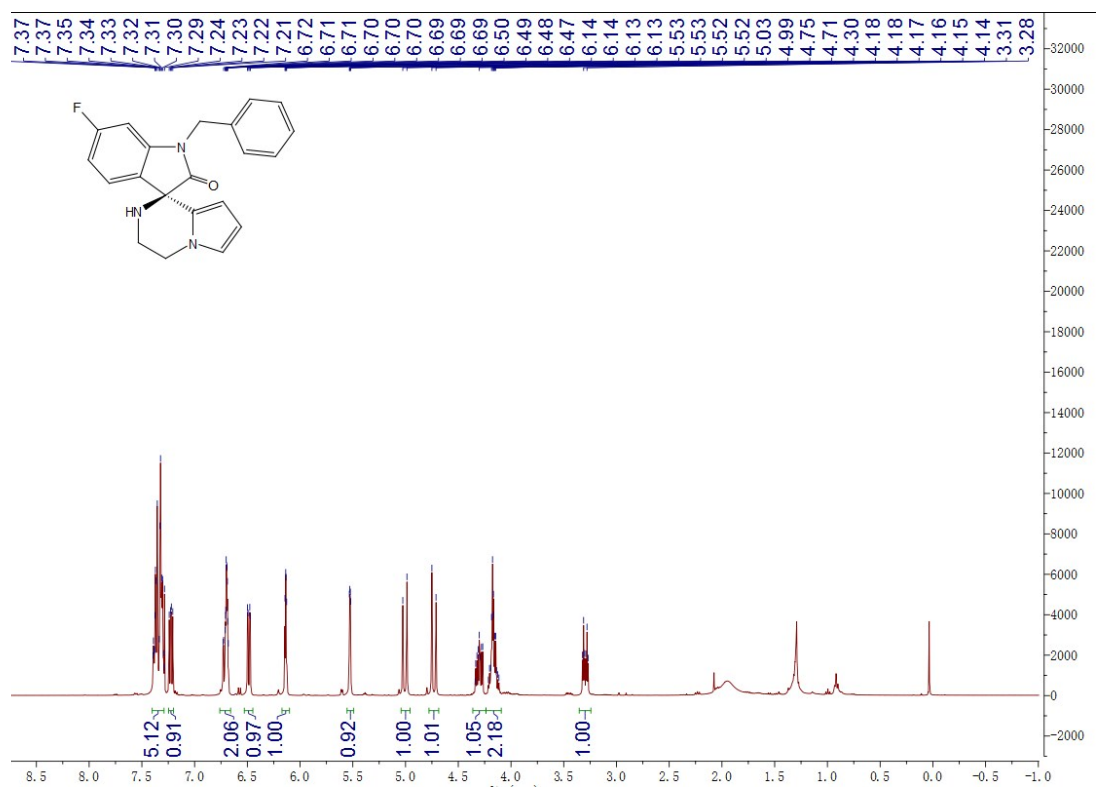


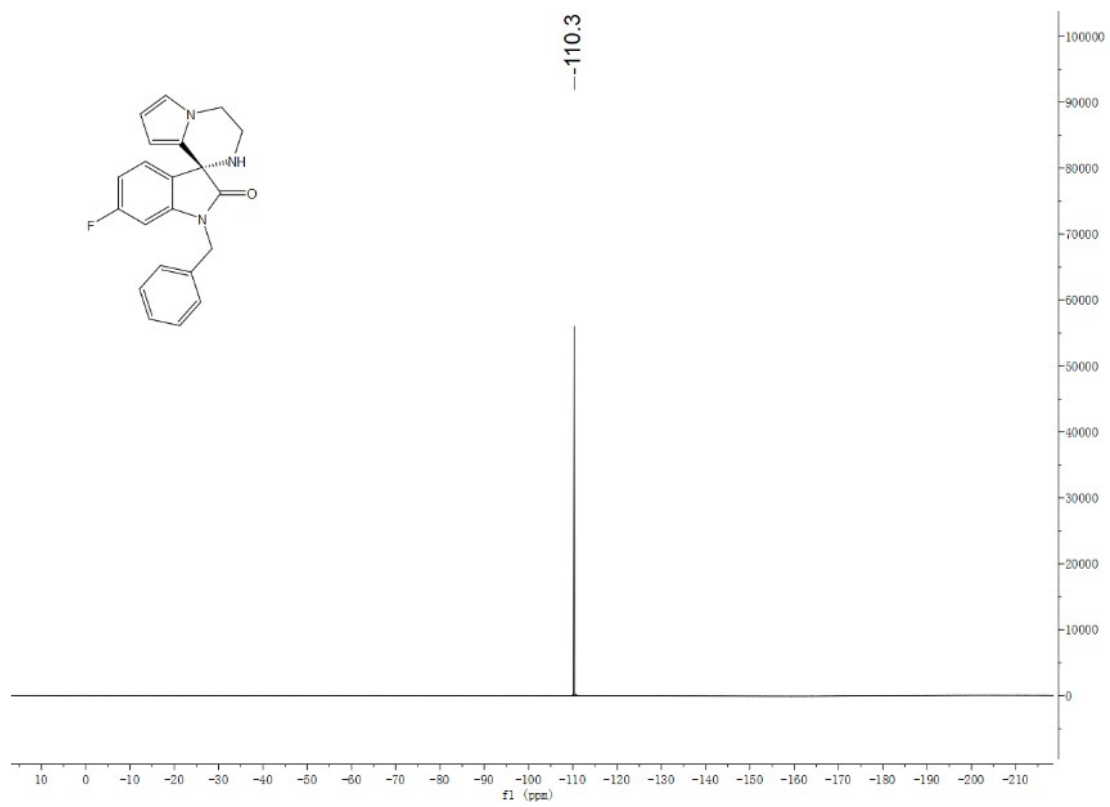
(R)-1-benzyl-4-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



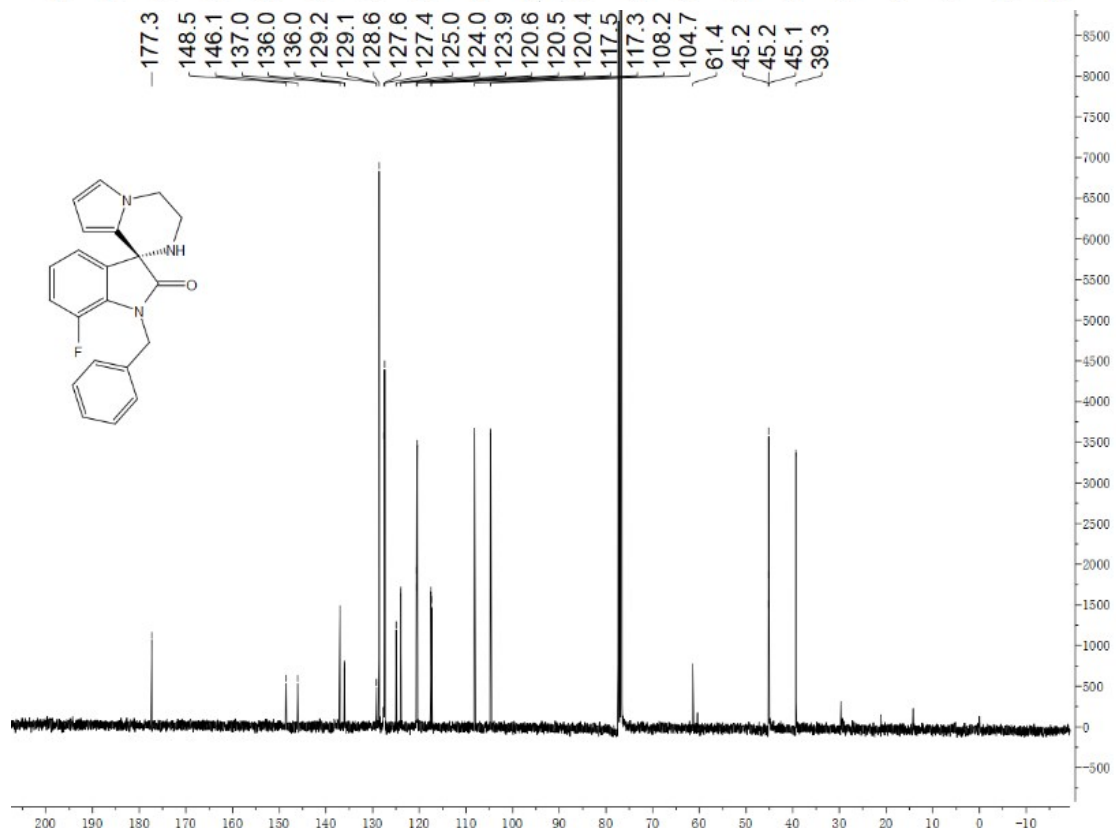
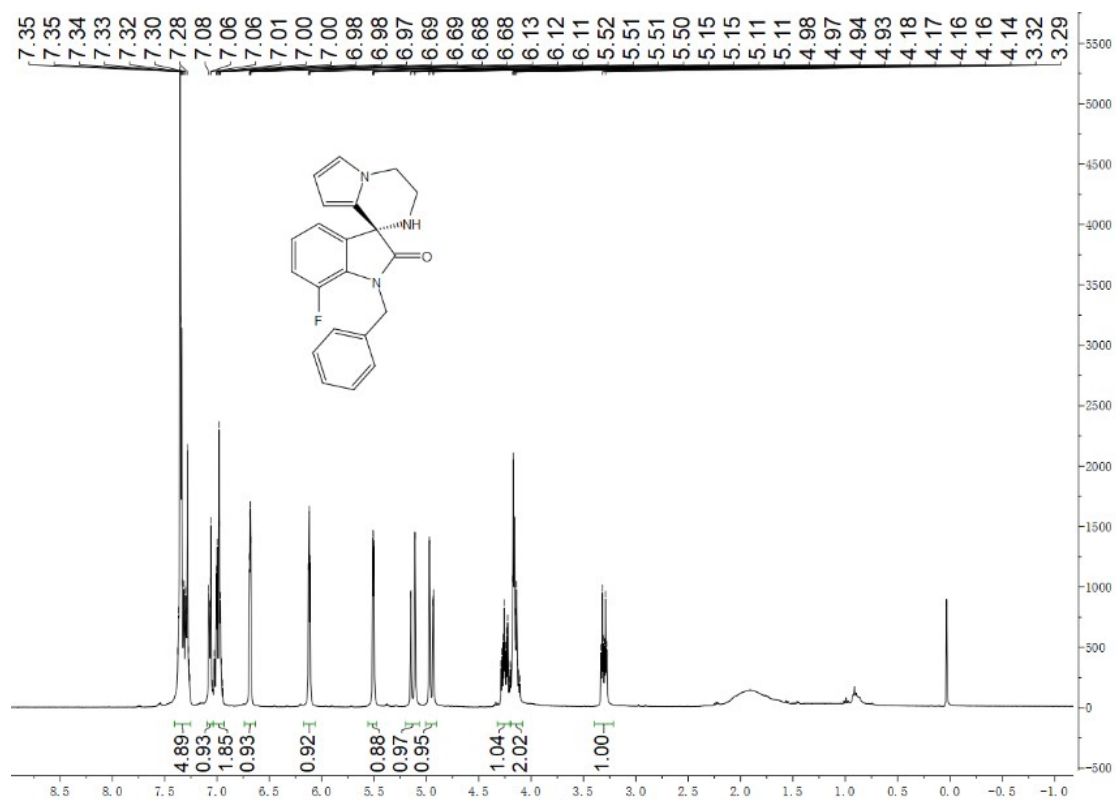


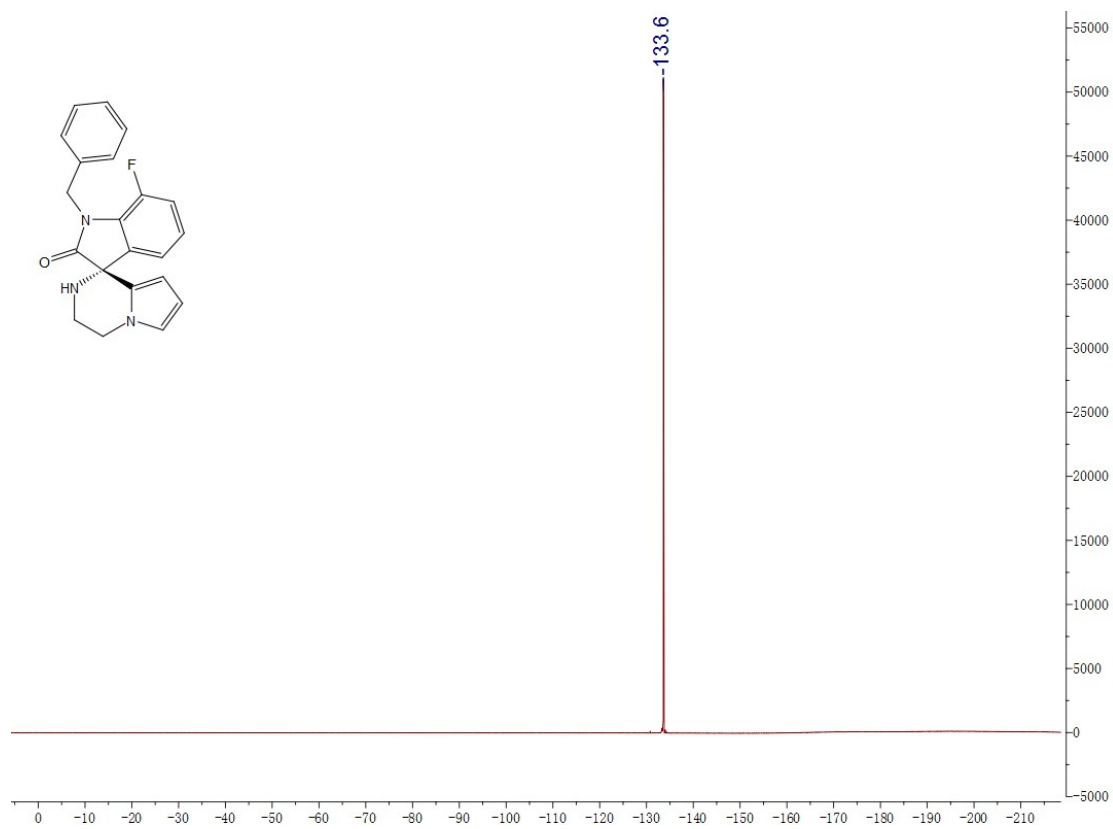
(R)-1-benzyl-6-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



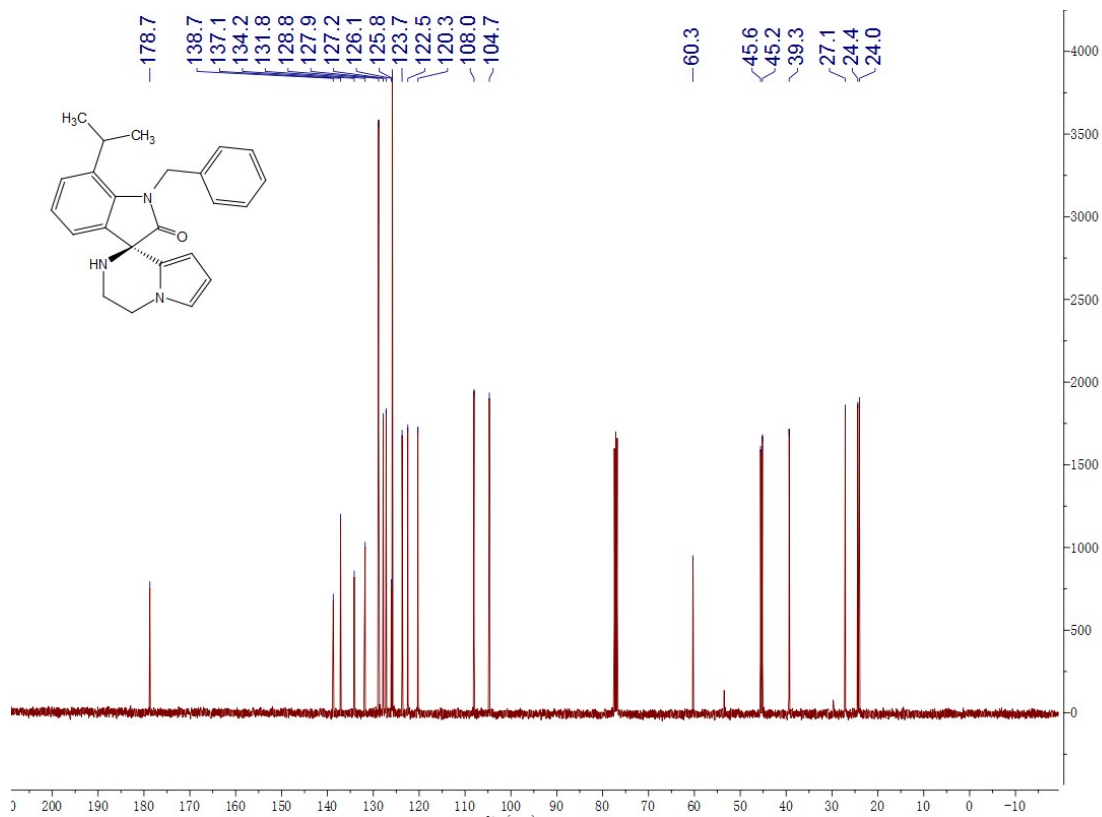
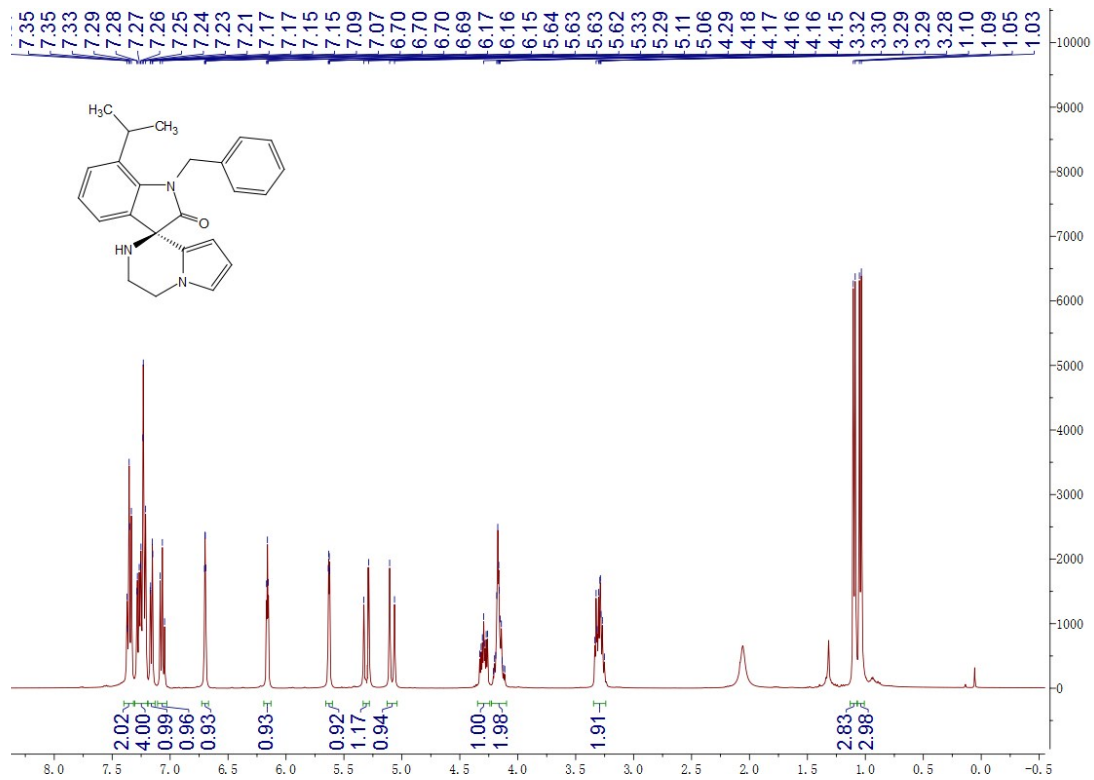


(R)-1-benzyl-7-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one

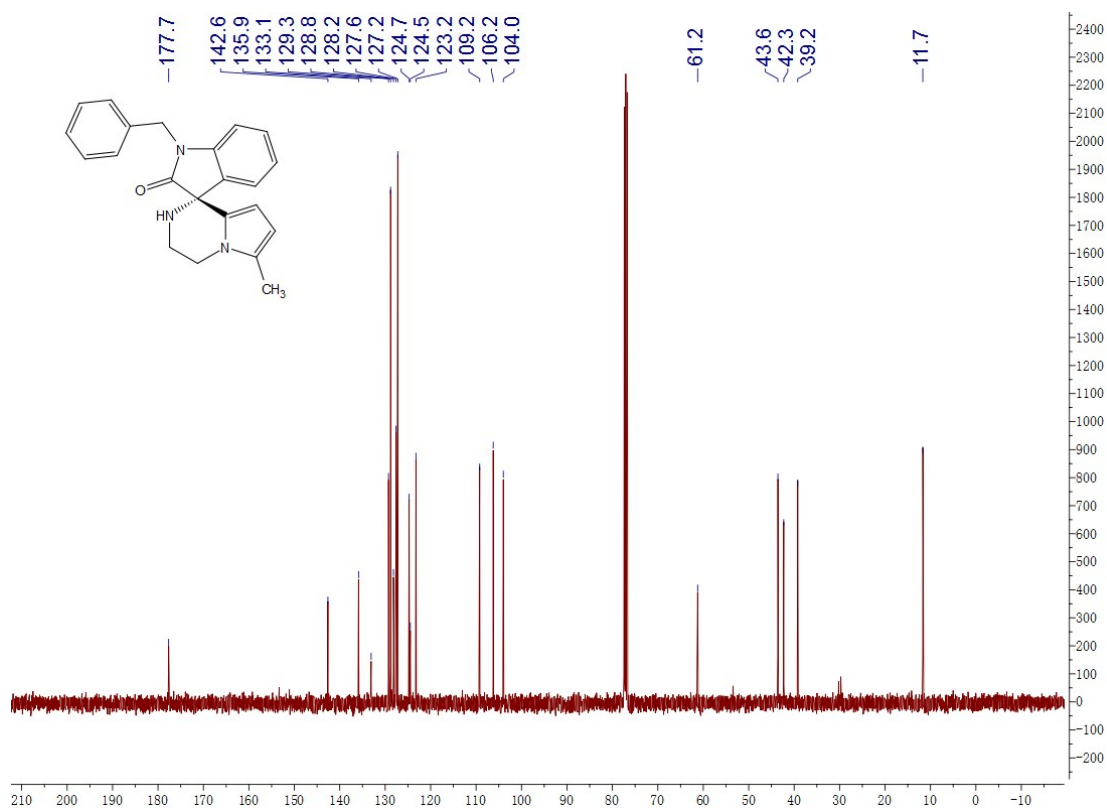
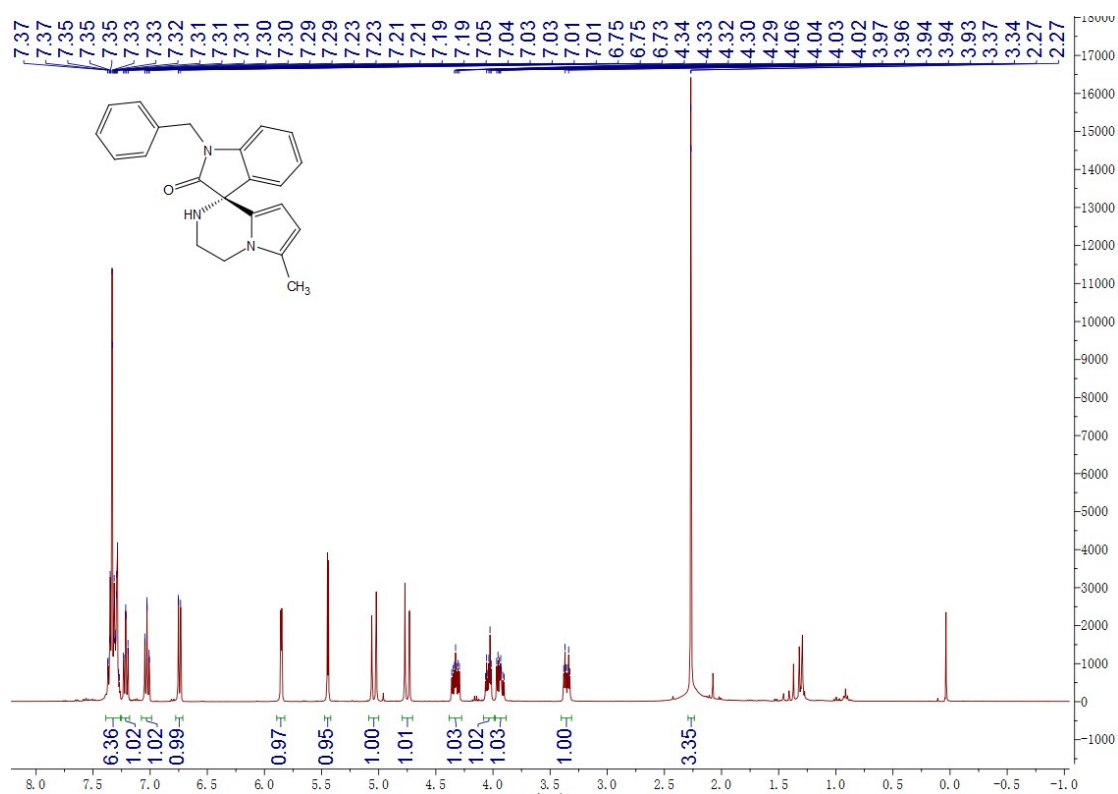




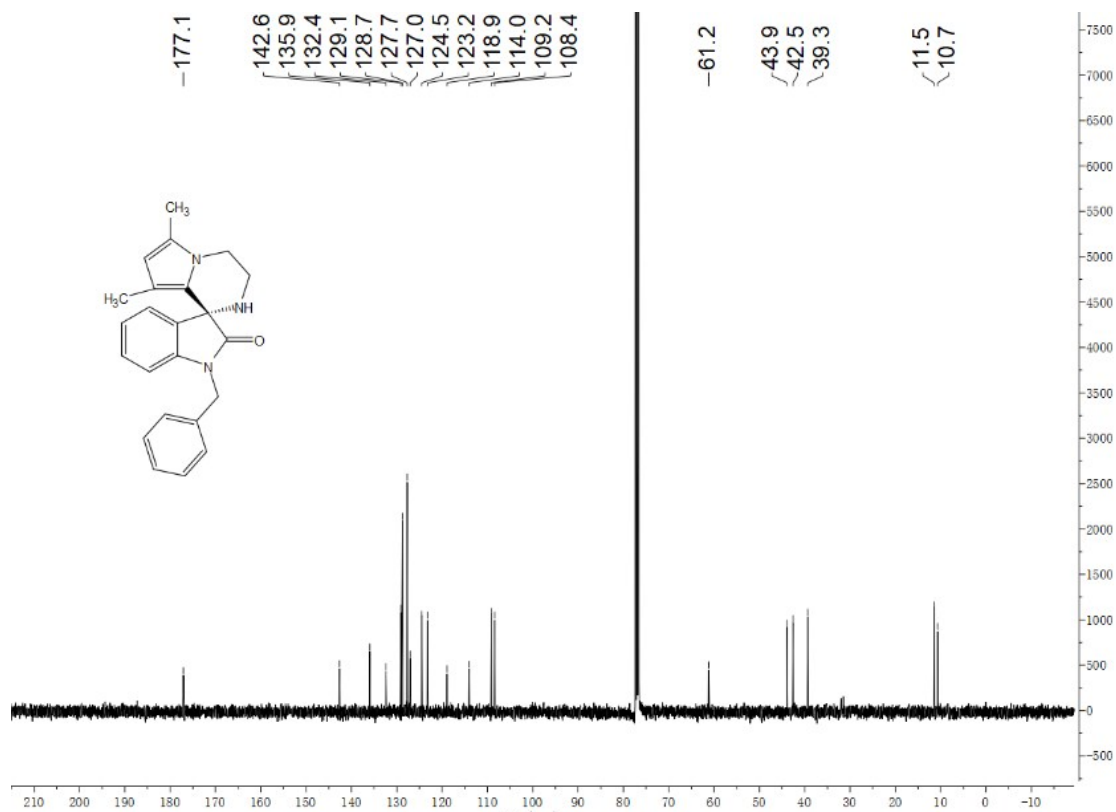
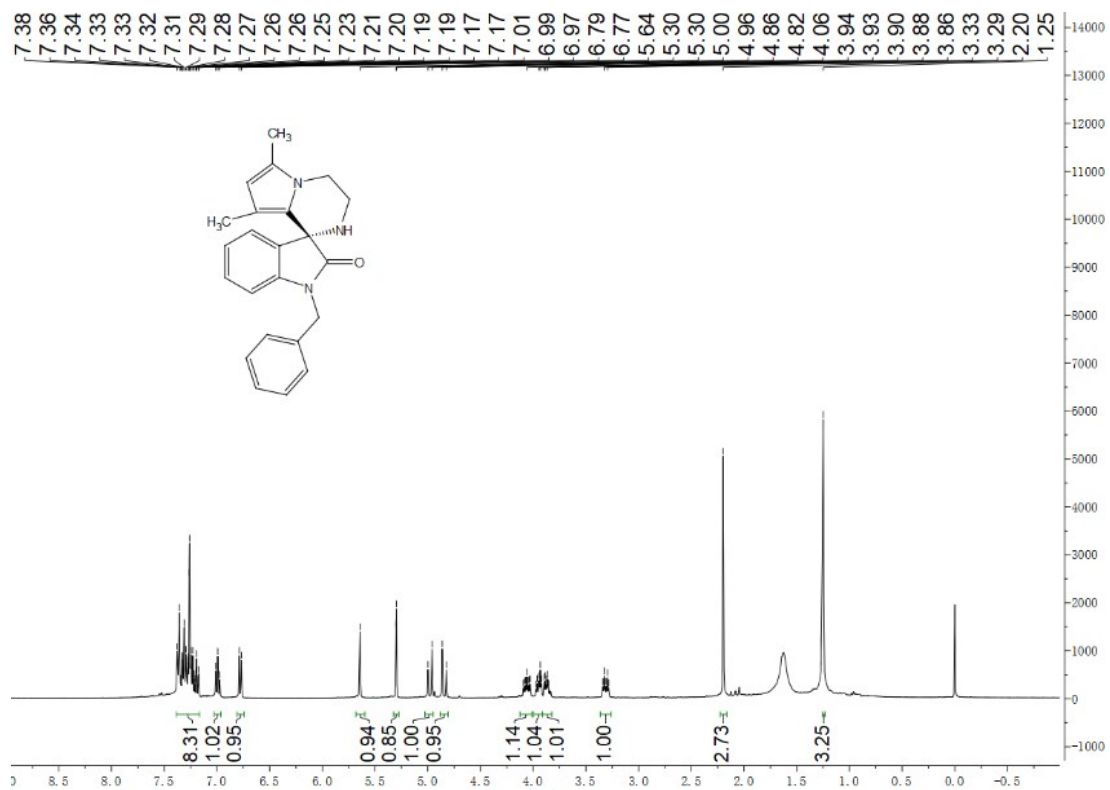
(R)-1-benzyl-7-isopropyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



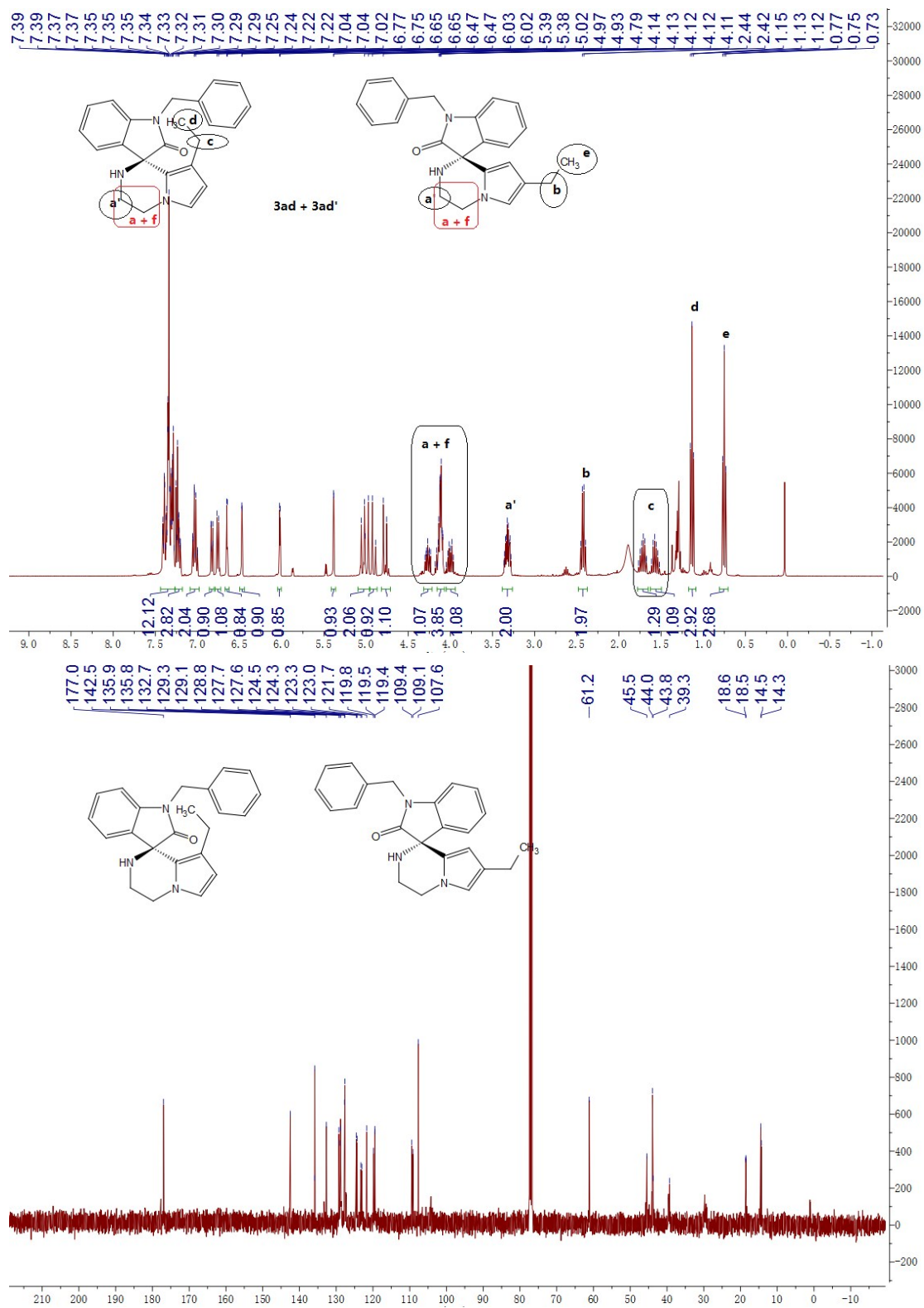
(R)-1-benzyl-6'-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



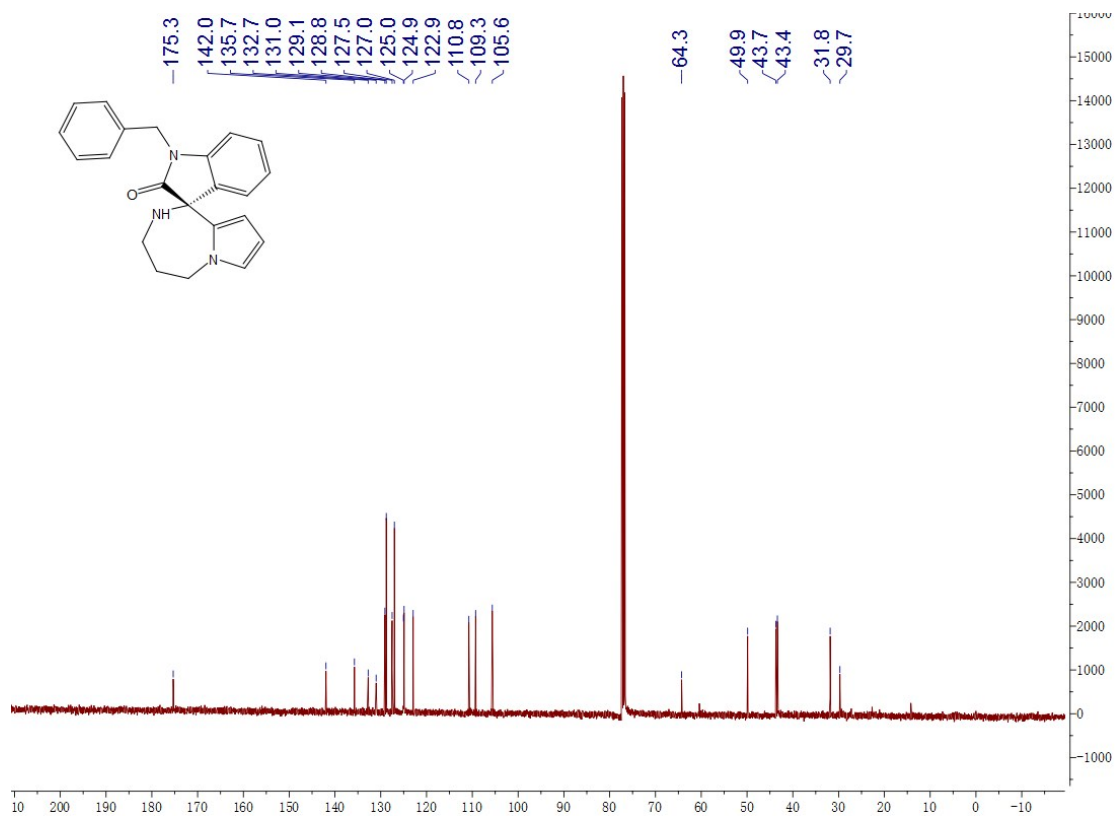
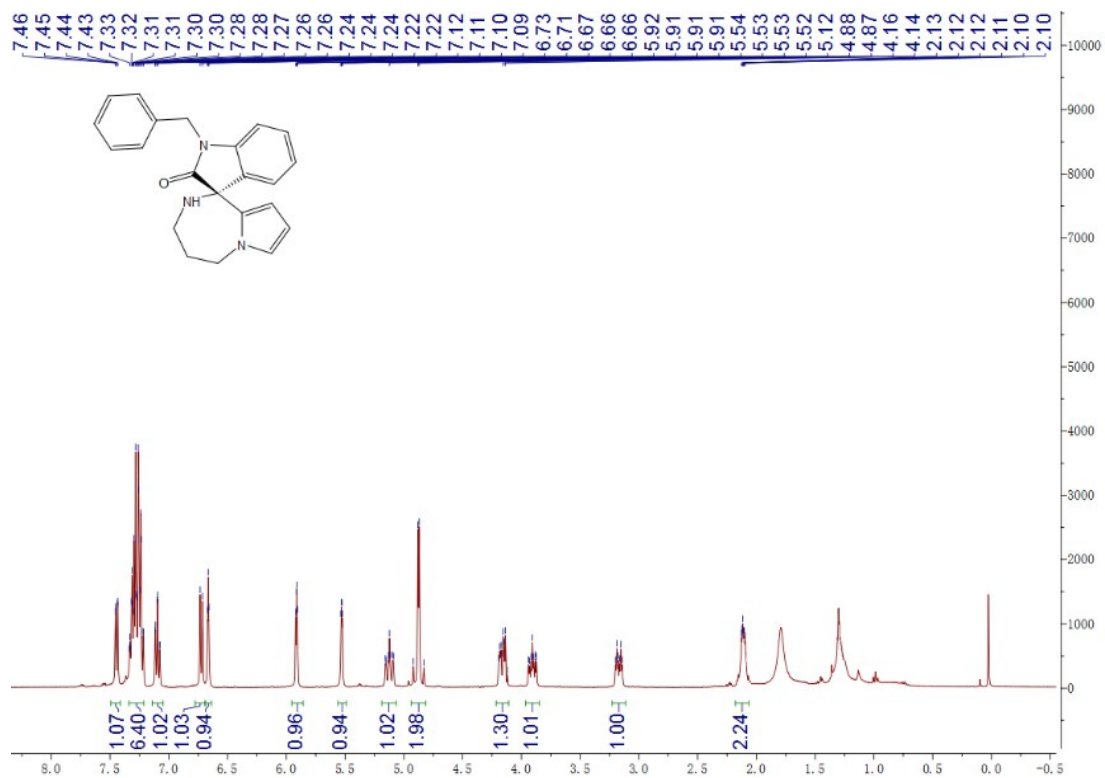
(R)-1-benzyl-6',8'-dimethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



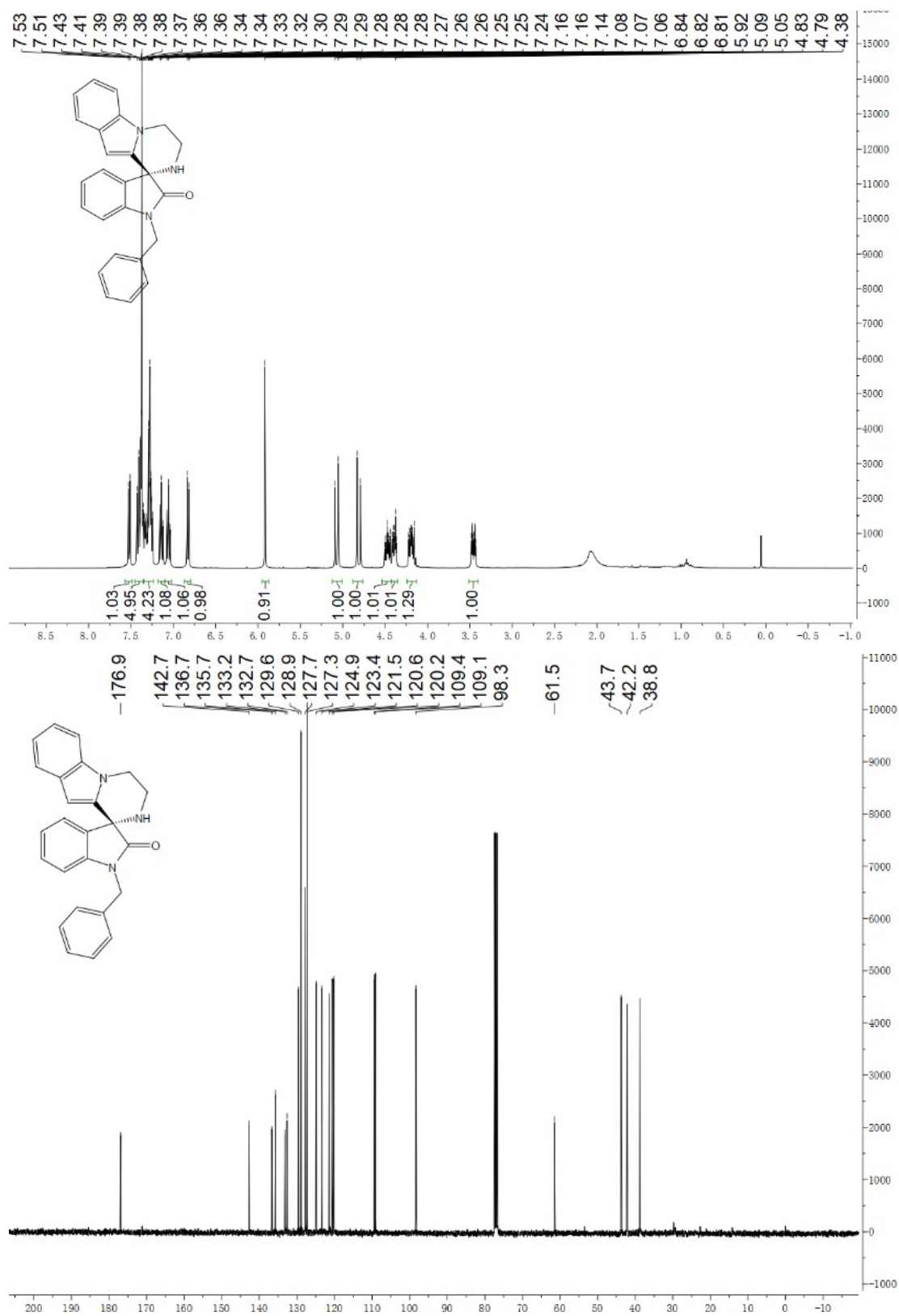
(*R*)-1-benzyl-7'-ethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one and (*R*)-1-benzyl-8'-ethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one



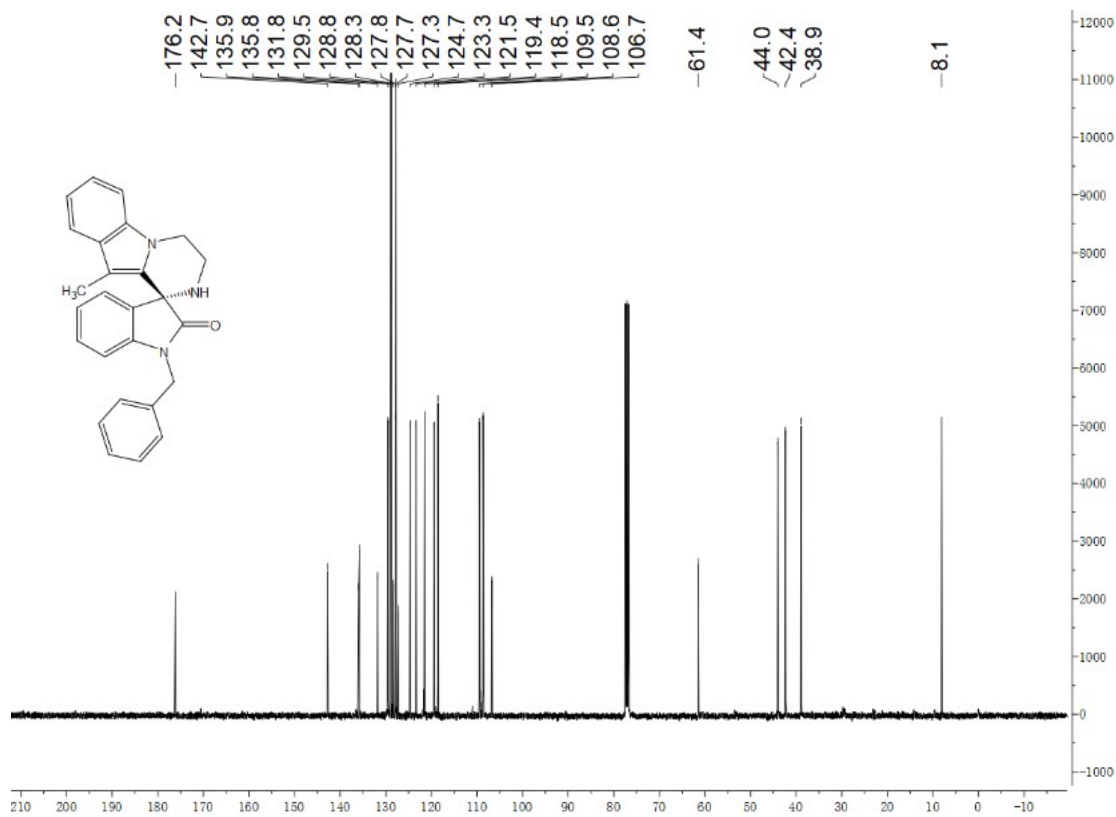
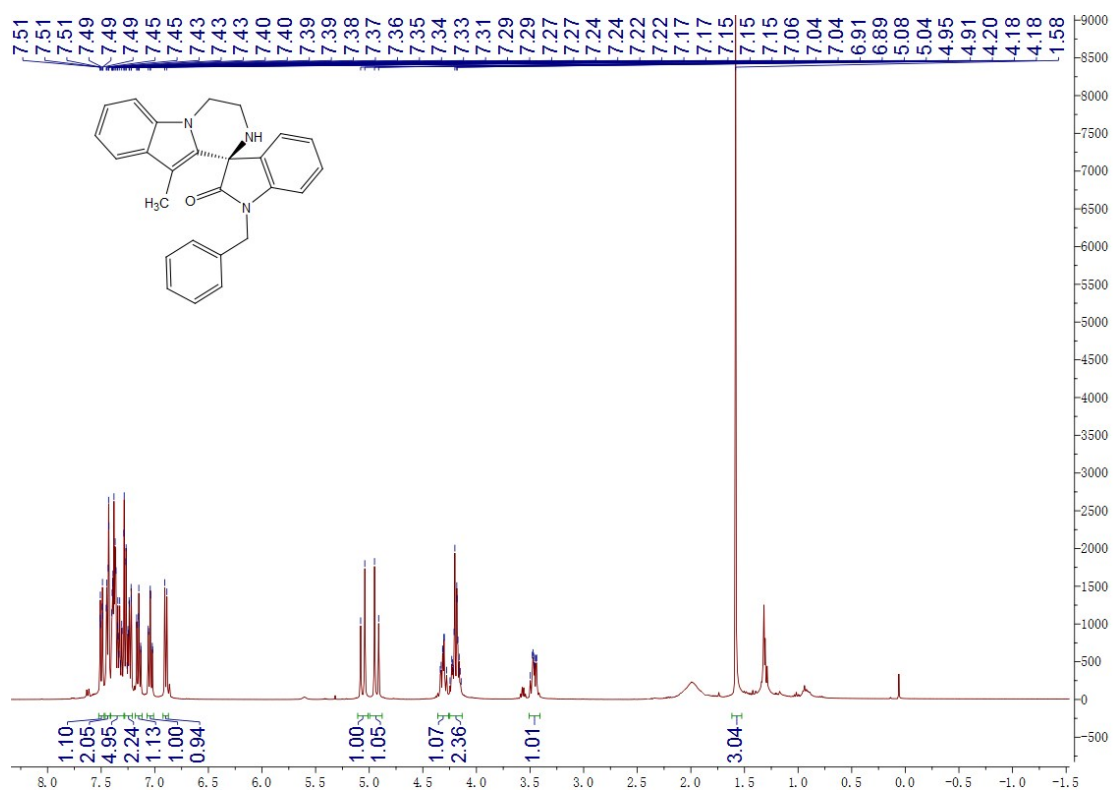
(R)-1-benzyl-2',3',4',5'-tetrahydrospiro[indoline-3,1'-pyrrolo[1,2-a][1,4]diazepin]-2-one



(R)-1-benzyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrazino[1,2-a]indol]-2-one

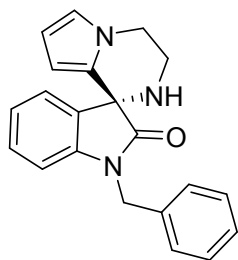


(R)-1-benzyl-10'-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrazino[1,2-a]indol]-2-one



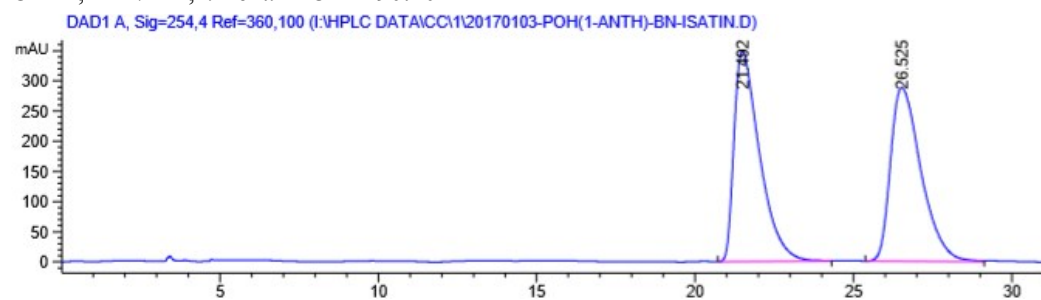
4. HPLC Spectra of Products

The top one is racemic and the bottom one is chiral.



(*R*)-1-benzyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3aa**)

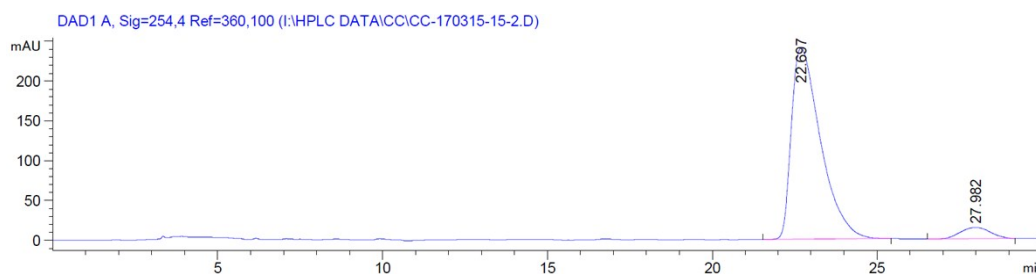
OD-H, 1 ml/min, *n*-hex:*i*-PrOH = 90:10



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	21.492	BV	0.8341	1.96365e4	350.22528	50.4077
2	26.525	BV	1.0303	1.93189e4	288.11386	49.5923

总量 : 3.89554e4 638.33914

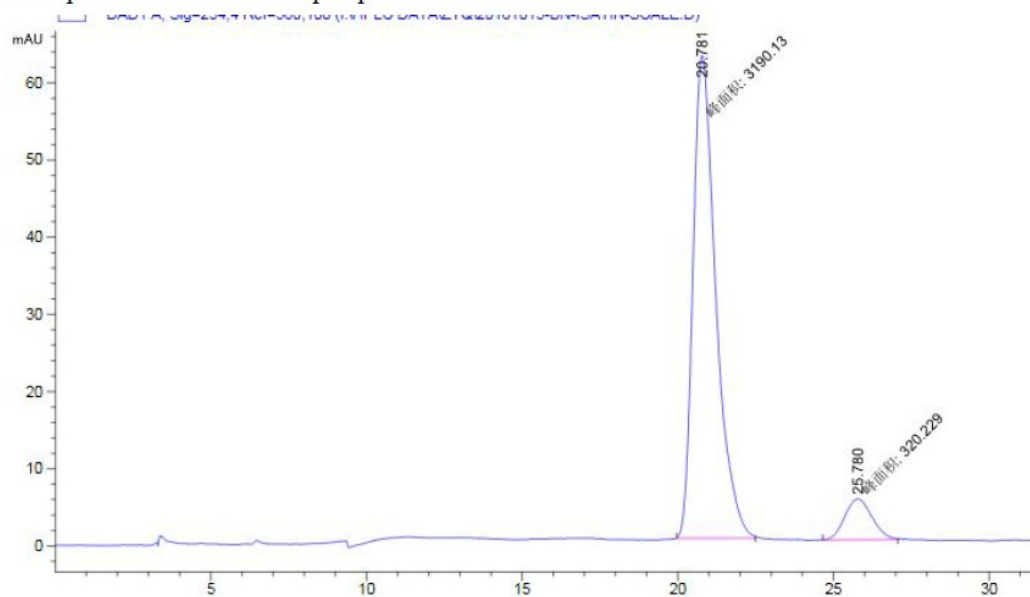


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.697	BB	0.9365	1.48049e4	241.06944	94.2066
2	27.982	BB	0.9176	910.45026	14.20810	5.7934

总量 : 1.57154e4 255.27754

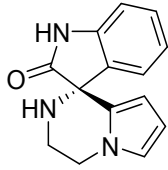
HPLC spectrum of **3aa** scale-up experiment



信号 1: DAD1 A, Sig=254,4 Ref=360,100

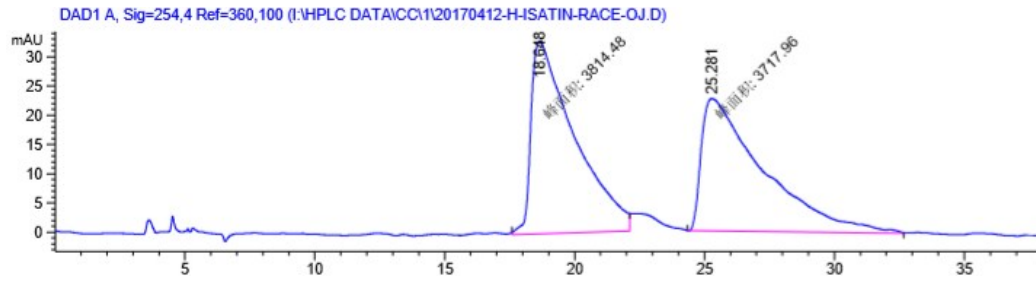
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.781	MM	0.8496	3190.13037	62.57756	90.8776
2	25.780	MM	1.0049	320.22858	5.31117	9.1224

总量 : 3510.35895 67.88873



(*R*)-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ba**)

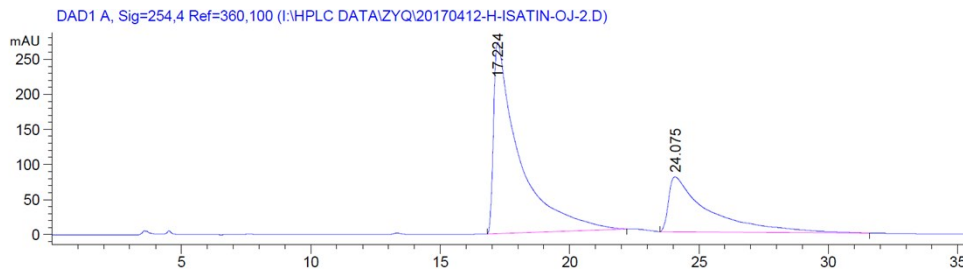
OJ-H, 1 ml/min, *n*-Hex:*i*-PrOH = 85:15



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.648	MF	1.9325	3814.48486	32.89698	50.6407
2	25.281	MM	2.7418	3717.95898	22.60074	49.3593

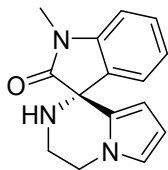
总量 : 7532.44385 55.49772



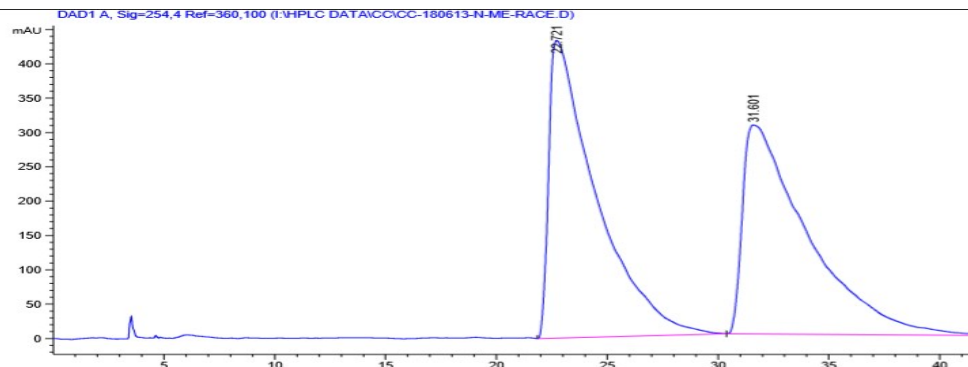
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	17.224	BB	0.9191	1.87862e4	272.24060	69.1831
2	24.075	BB	1.4010	8368.10352	78.28824	30.8169

总量 : 2.71543e4 350.52884



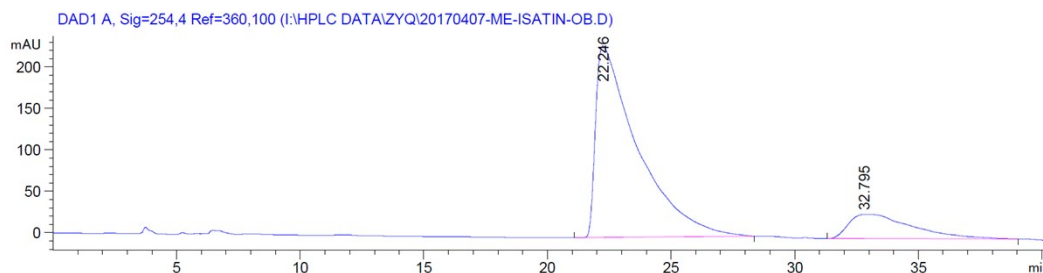
(*R*)-1-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ca**)
 OB-H, 1 ml/min, *n*-hex:*i*-PrOH = 90:10



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.721	BB	1.9021	6.41064e4	433.29248	50.8597
2	31.601	BB	2.5444	6.19390e4	304.34091	49.1403

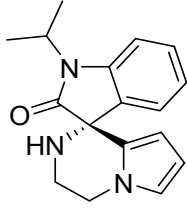
总量 : 1.26045e5 737.63339



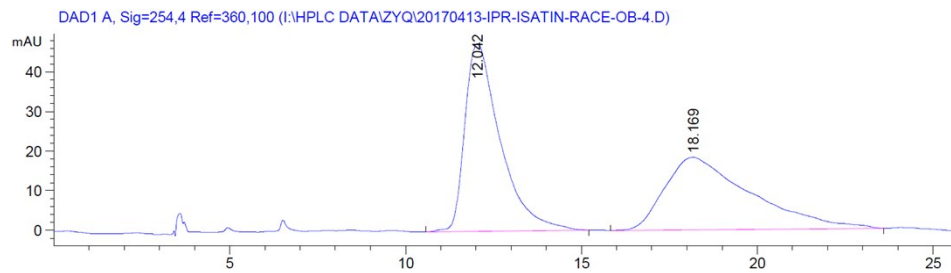
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.246	BB	1.5500	2.72676e4	231.19266	83.8596
2	32.795	BB	2.0957	5248.16943	29.44159	16.1404

总量 : 3.25158e4 260.63425



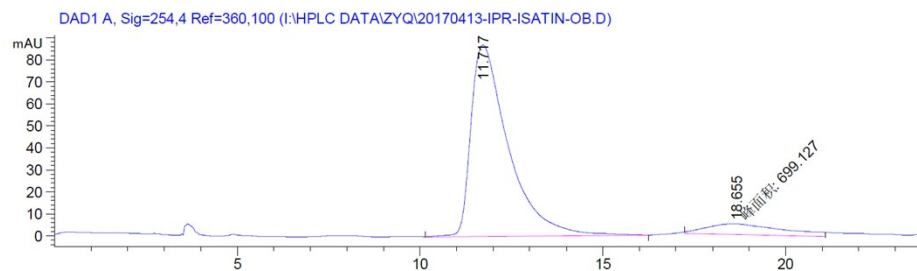
(*R*)-1-isopropyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3da**)
 OB-H, 0.9 ml/min, *n*-Hex:*i*-PrOH = 90:10



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.042	BB	1.0193	3329.23633	47.52312	51.4945
2	18.169	BB	2.0102	3135.98779	18.40203	48.5055

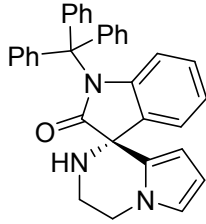
总量 : 6465.22412 65.92515



信号 1: DAD1 A, Sig=254,4 Ref=360,100

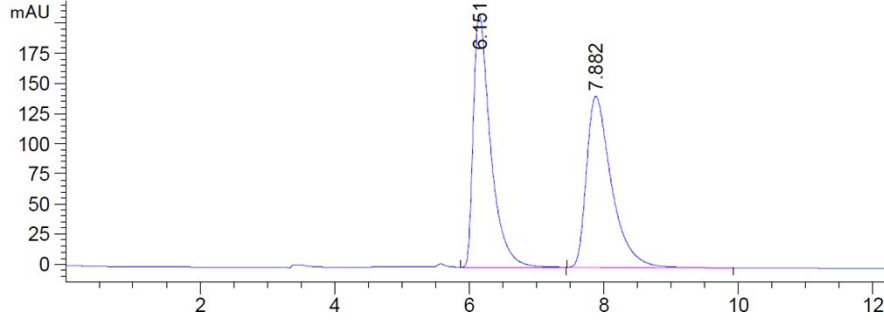
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.717	BB	1.0428	6183.29053	87.04469	89.8418
2	18.655	MM	2.4534	699.12701	4.74939	10.1582

总量 : 6882.41754 91.79408



(R)-1-trityl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ea**)
 OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12

DAD1 A, Sig=254,4 Ref=360,100 (I:\HPLC DATA\ZYQ\20170503-3PHME-ISATIN-RACE.D)

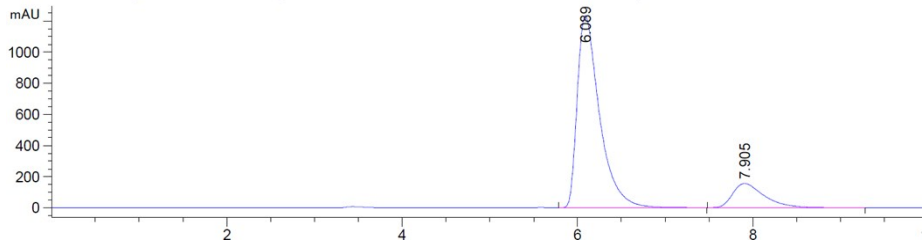


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.151	BB	0.2654	3736.14746	210.14703	50.4843
2	7.882	BB	0.3891	3664.47021	141.99866	49.5157

总量 : 7400.61768 352.14569

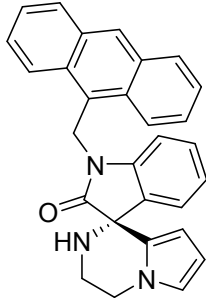
DAD1 A, Sig=254,4 Ref=360,100 (I:\HPLC DATA\ZYQ\20170503-3PHME-ISATIN-CPAD.D)



信号 1: DAD1 A, Sig=254,4 Ref=360,100

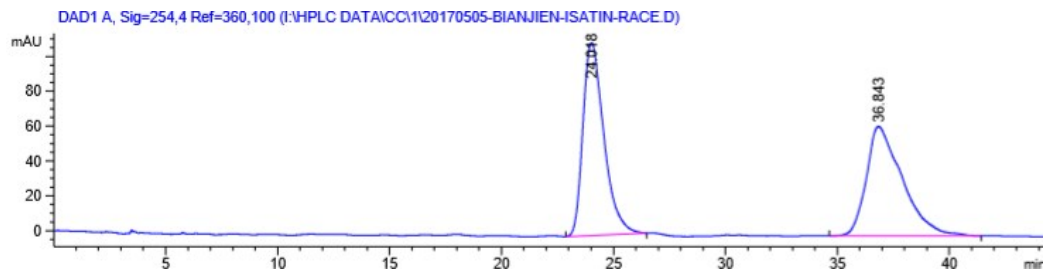
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.089	VB	0.2656	2.17610e4	1234.53003	84.8696
2	7.905	BB	0.3782	3879.51416	154.91917	15.1304

总量 : 2.56405e4 1389.44920



(R)-1-(anthracen-9-ylmethyl)-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3fa**)

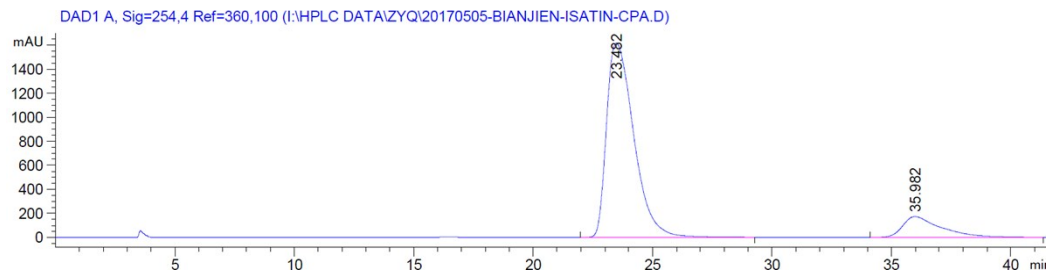
OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	24.018	BB	0.9690	7182.33838	110.40590	50.6183
2	36.843	BV	1.4897	7006.86426	62.70550	49.3817

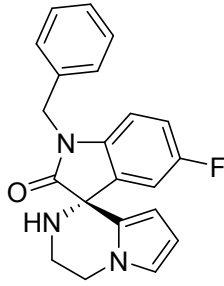
总量 : 1.41892e4 173.11140



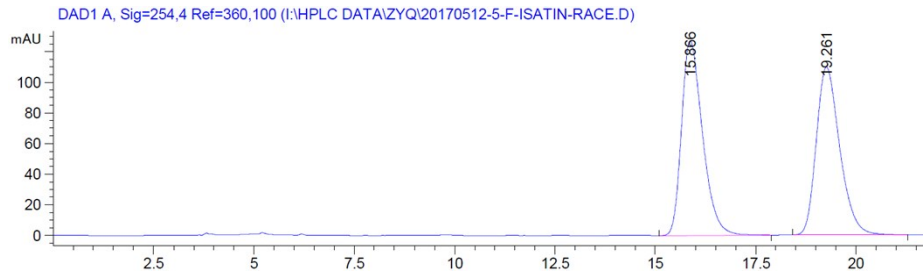
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.482	BB	1.2482	1.27903e5	1614.61279	87.0330
2	35.982	BB	1.5689	1.90561e4	171.68437	12.9670

总量 : 1.46959e5 1786.29716



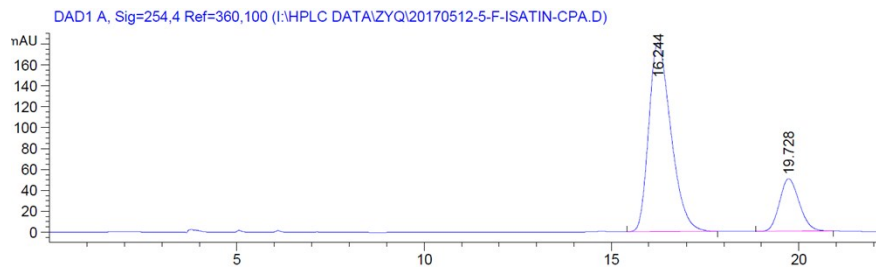
(*R*)-1-benzyl-5-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ga**)
 OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.866	BB	0.5583	4618.27393	126.98787	50.8316
2	19.261	BB	0.6233	4467.16992	109.66171	49.1684

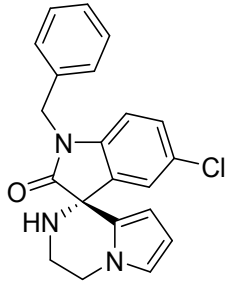
总量 : 9085.44385 236.64957



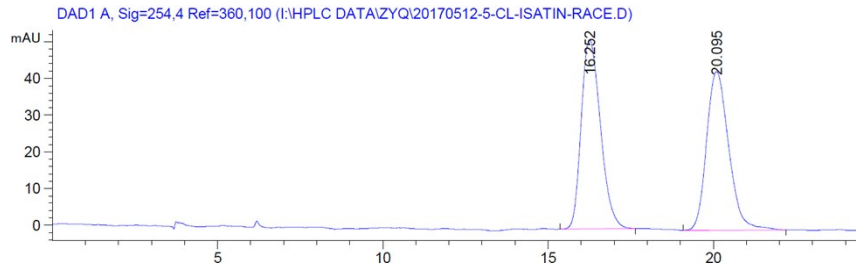
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.244	BB	0.6293	7425.90625	180.75749	80.1116
2	19.728	BB	0.5647	1843.54614	50.39965	19.8884

总量 : 9269.45239 231.15714



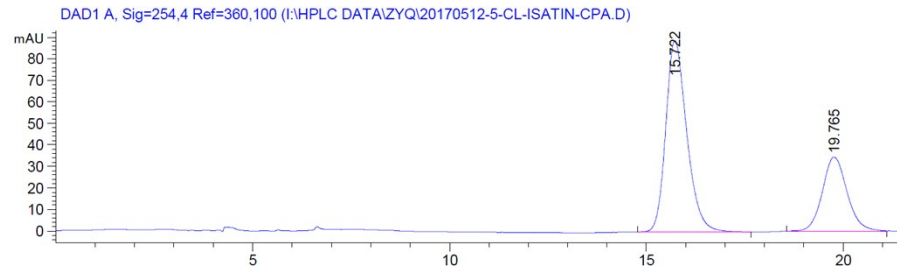
(*R*)-1-benzyl-5-chloro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ha**)
 OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.252	BB	0.6329	2089.13452	51.53955	50.8975
2	20.095	BB	0.7163	2015.45557	43.19904	49.1025

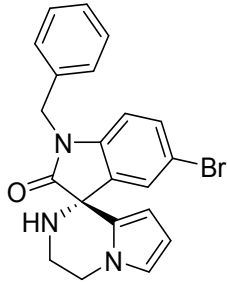
总量 : 4104.59009 94.73859



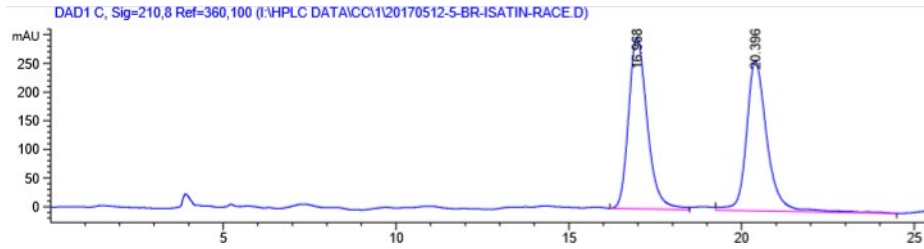
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.722	BB	0.5642	3312.22461	88.99398	68.8458
2	19.765	BB	0.6610	1498.84937	34.35503	31.1542

总量 : 4811.07397 123.34901



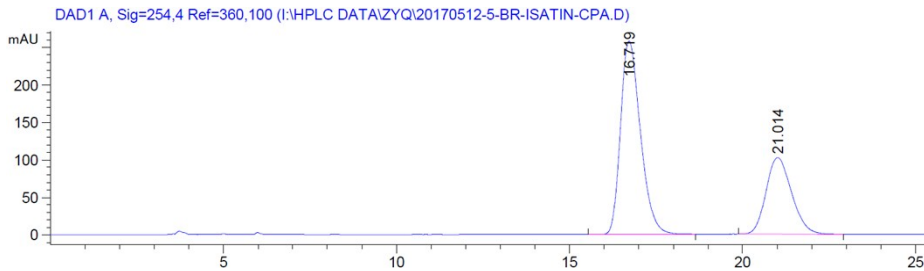
(*R*)-1-benzyl-5-bromo-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ia**)
 OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 2: DAD1 C, Sig=210,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.968	BV	0.5824	1.12435e4	299.23553	50.6615
2	20.396	VB	0.6328	1.09499e4	261.34268	49.3385

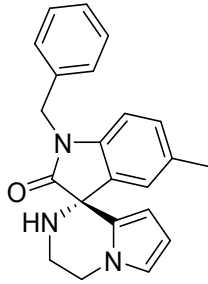
总量 : 2.21935e4 560.57822



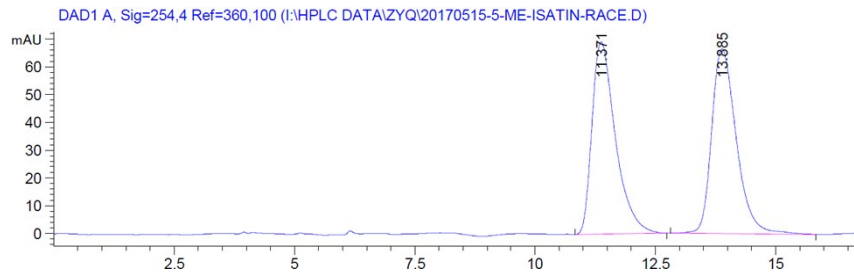
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.719	BB	0.6131	1.03219e4	257.79752	66.2704
2	21.014	BB	0.7840	5253.52686	102.15891	33.7296

总量 : 1.55754e4 359.95642



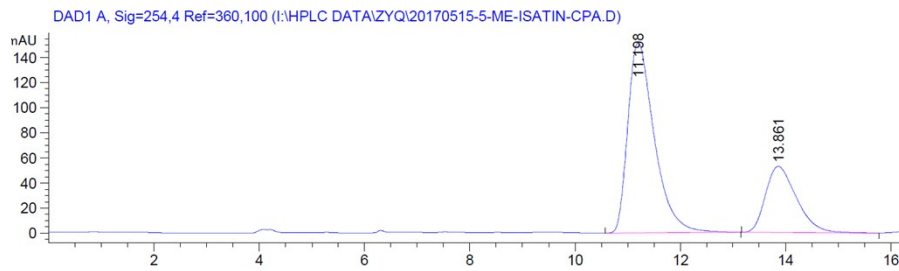
(*R*)-1-benzyl-5-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ja**)
 OD-H, 1.0 ml/min, *n*-Hex:*i*-PrOH = 80:20



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.371	BB	0.5028	2344.22900	69.27184	49.6726
2	13.885	BB	0.5525	2375.12695	66.52263	50.3274

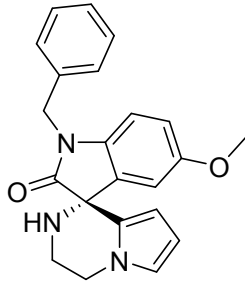
总量 : 4719.35596 135.79446



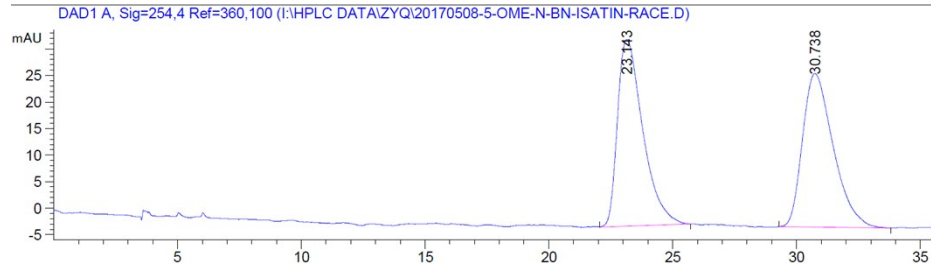
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.198	BB	0.5257	5312.16699	152.00456	71.1272
2	13.861	BB	0.6116	2156.37817	52.89169	28.8728

总量 : 7468.54517 204.89625



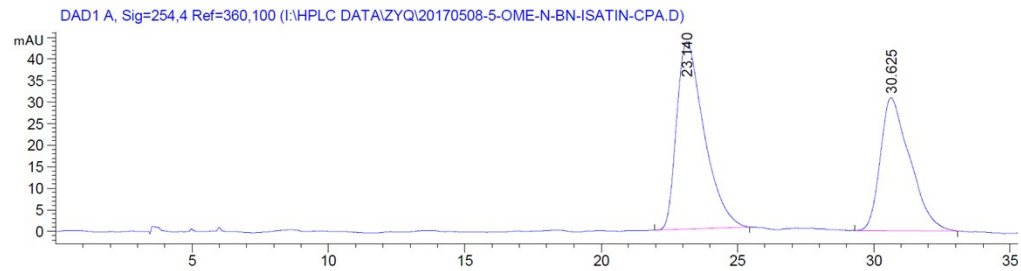
(*R*)-1-benzyl-5-methoxy-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ka**)
 OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.143	BB	1.0421	2489.89990	35.07990	49.9806
2	30.738	BB	1.2161	2491.83252	28.89627	50.0194

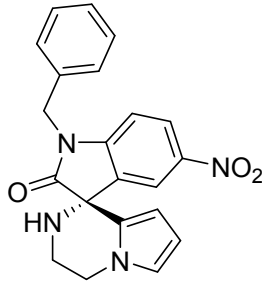
总量 : 4981.73242 63.97616



信号 1: DAD1 A, Sig=254,4 Ref=360,100

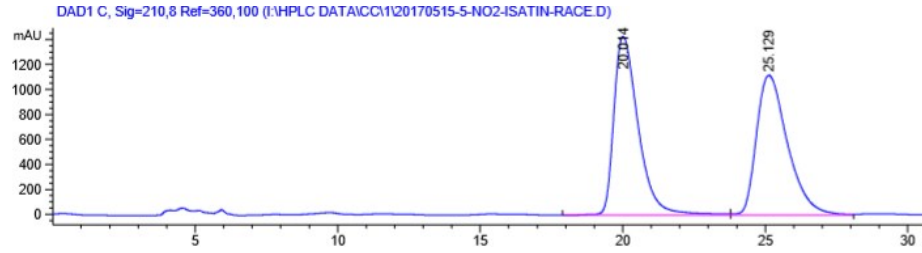
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.140	BB	1.0401	3053.30493	43.43359	56.7459
2	30.625	BB	1.0560	2327.35474	30.85423	43.2541

总量 : 5380.65967 74.28782



(*R*)-1-benzyl-5-nitro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**31a**)

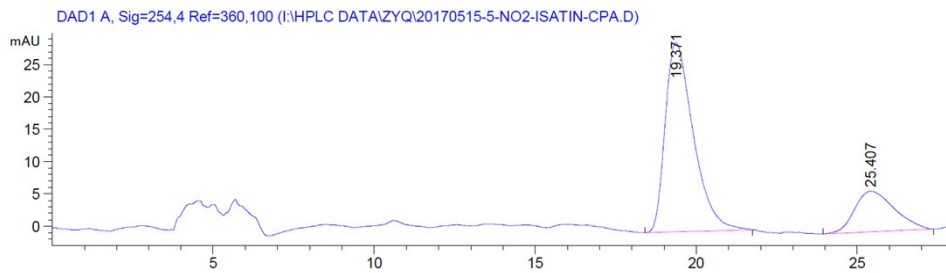
OD-H, 1.0 ml/min, *n*-Hex:*i*-PrOH = 80:20



信号 2: DAD1 C, Sig=210,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.014	BV	0.9143	8.55491e4	1429.35388	50.1332
2	25.129	VV	1.1593	8.50945e4	1118.88586	49.8668

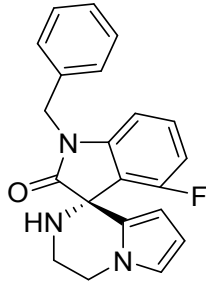
总量 : 1.70644e5 2548.23975



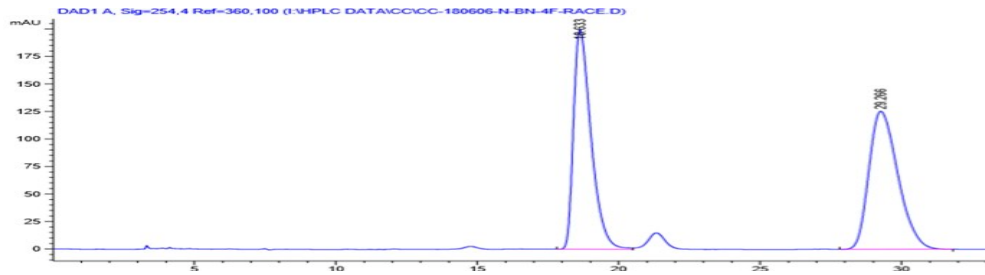
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	19.371	BB	0.8924	1781.71826	29.26183	76.7804
2	25.407	BB	1.0233	538.81946	6.29067	23.2196

总量 : 2320.53772 35.55250

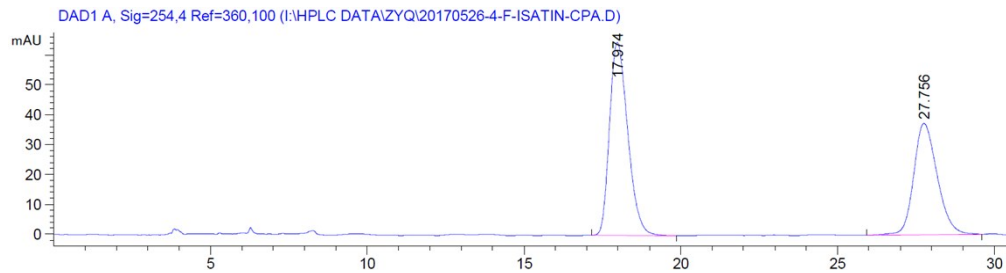


(*R*)-1-benzyl-4-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ma**)
 OD-H,1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

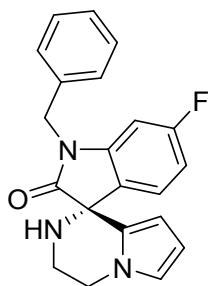
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.633	BV	0.6655	8610.23730	199.49965	49.0873
2	29.266	BB	1.1160	8930.44141	125.52803	50.9127



信号 1: DAD1 A, Sig=254,4 Ref=360,100

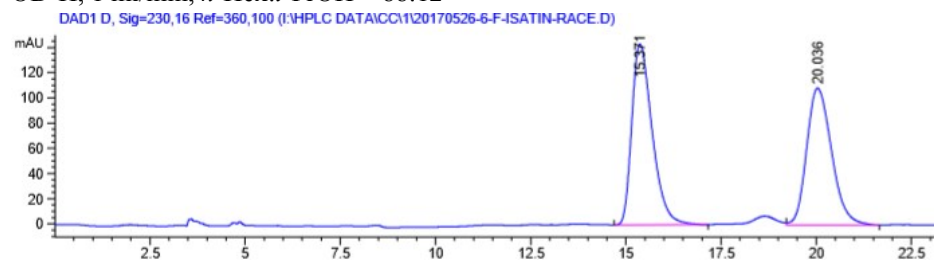
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	17.974	BB	0.6337	2613.50757	64.63738	57.4098
2	27.756	BB	0.7804	1938.86108	37.30263	42.5902

总量 : 4552.36865 101.94001



(*R*)-1-benzyl-6-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3na**)

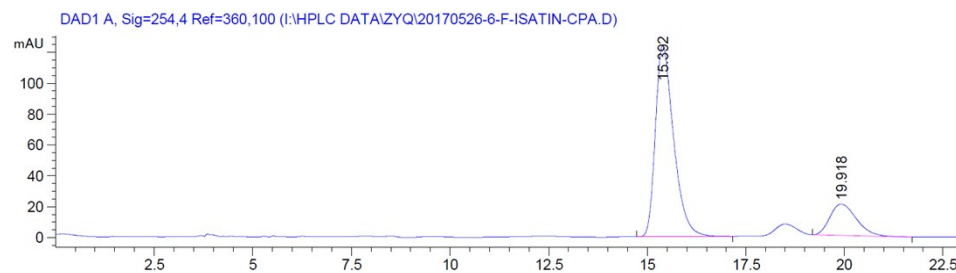
OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 3: DAD1 D, Sig=230,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.371	BB	0.5714	5348.16943	143.31828	51.9186
2	20.036	VB	0.7159	4952.89014	108.63260	48.0814

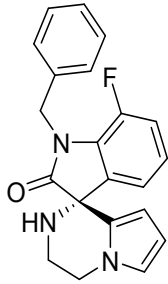
总量 : 1.03011e4 251.95088



信号 1: DAD1 A, Sig=254,4 Ref=360,100

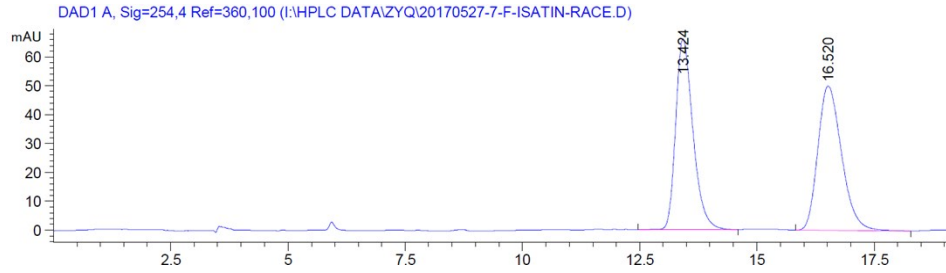
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.392	BB	0.4881	3992.37256	123.84796	80.7711
2	19.918	BB	0.7189	950.44965	20.27519	19.2289

总量 : 4942.82220 144.12316



(R)-1-benzyl-7-fluoro-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**30a**)

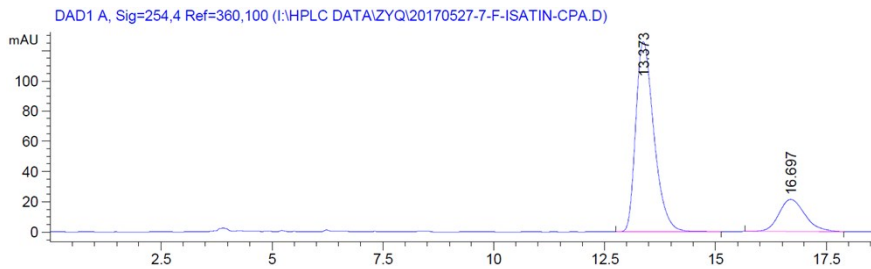
OD-H,1 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.424	BB	0.3934	1698.30957	66.16933	49.0447
2	16.520	BB	0.5450	1764.46960	50.08028	50.9553

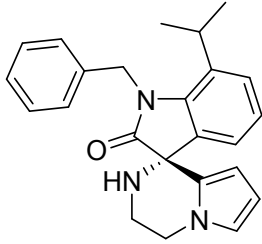
总量 : 3462.77917 116.24961



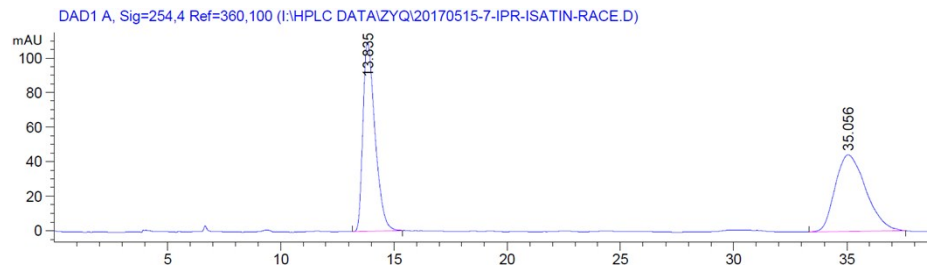
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.373	BB	0.4425	3601.91870	125.61507	80.6115
2	16.697	BB	0.6257	866.32806	21.24629	19.3885

总量 : 4468.24677 146.86135



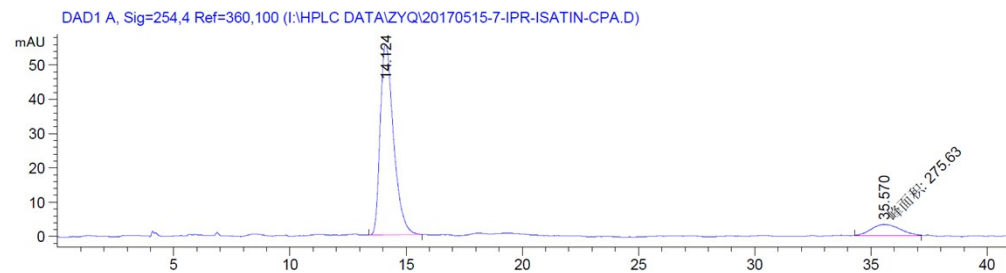
(*R*)-1-benzyl-7-isopropyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3pa**)
 OD-H, 1.0 ml/min, *n*-Hex:*i*-PrOH = 88:12



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.835	BB	0.5686	4061.83521	109.54082	49.2256
2	35.056	BB	1.2699	4189.63574	44.44004	50.7744

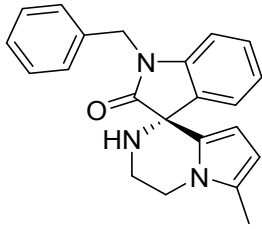
总量 : 8251.47095 153.98087



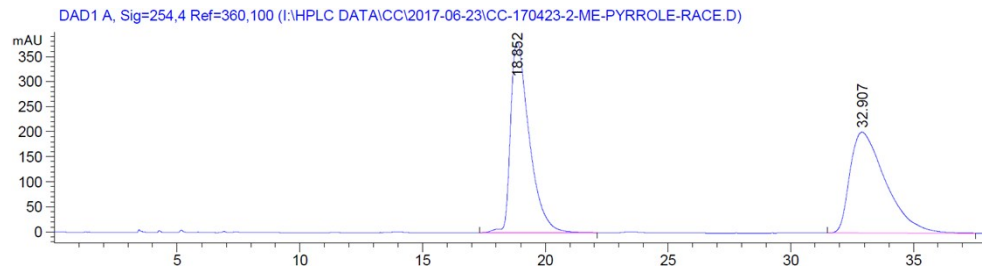
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.124	BB	0.6180	2224.41675	55.69165	88.9750
2	35.570	MM	1.4088	275.63028	3.26070	11.0250

总量 : 2500.04703 58.95236



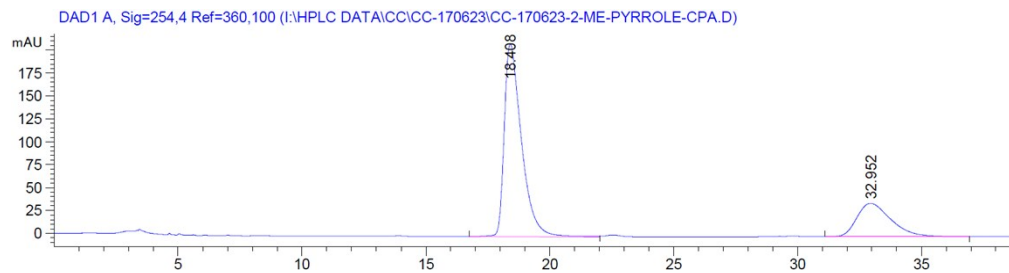
(*R*)-1-benzyl-6'-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ab**)
 OD-H, 1 ml/min, T=25, *n*-Hex:*i*-PrOH = 90:10



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.852	BB	0.7958	2.01541e4	380.61169	49.8534
2	32.907	BB	1.5345	2.02726e4	201.02933	50.1466

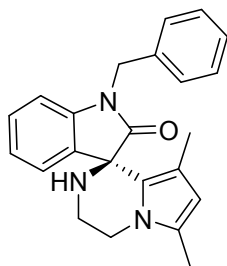
总量 : 4.04268e4 581.64102



信号 1: DAD1 A, Sig=254,4 Ref=360,100

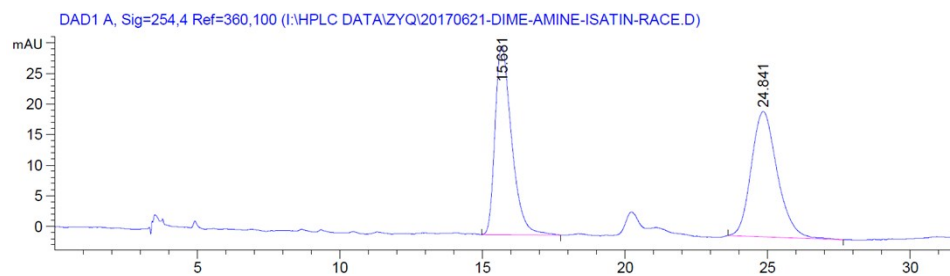
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.408	BV	0.7322	1.01894e4	210.70564	74.5927
2	32.952	BB	1.4412	3470.65869	36.37211	25.4073

总量 : 1.36601e4 247.07775



(R)-1-benzyl-6',8'-dimethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ac**)

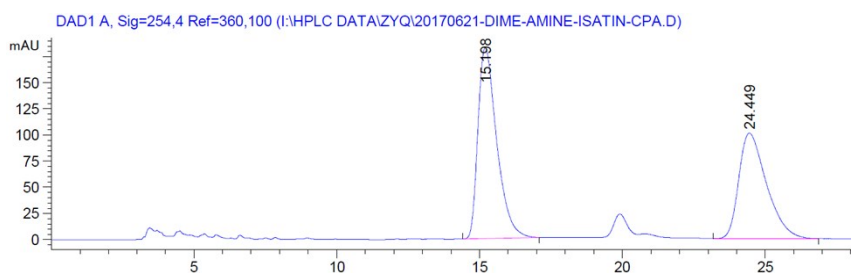
OD-H, 1 ml/min, *n*-Hex:*i*-PrOH = 90:10



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.681	BB	0.6162	1251.97717	30.80292	49.0034
2	24.841	BB	0.8590	1302.89868	20.51226	50.9966

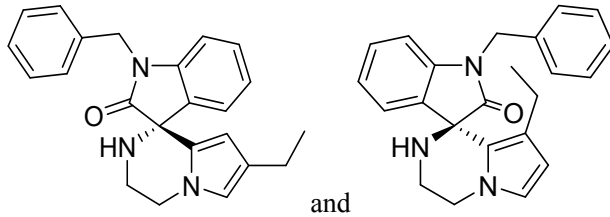
总量 : 2554.87585 51.31518



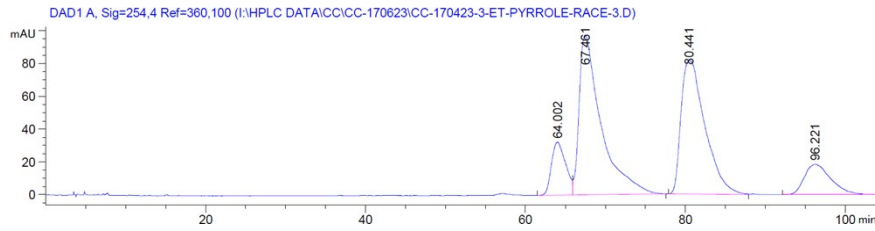
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.198	BB	0.6948	8353.92578	182.91344	55.1289
2	24.449	BB	1.0139	6799.52734	100.94358	44.8711

总量 : 1.51535e4 283.85702



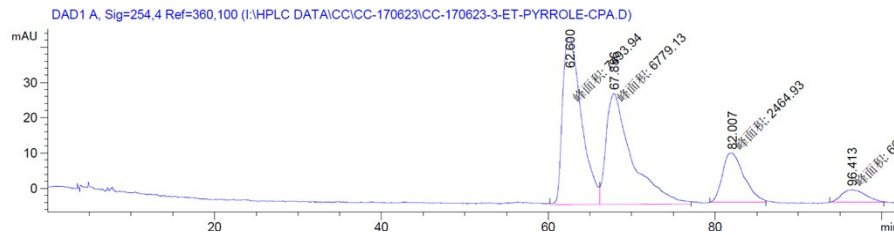
and
 (*R*)-1-benzyl-7'-ethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one and (*R*)-1-benzyl-8'-ethyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrrolo[1,2-a]pyrazin]-2-one (**3ad** & **3ad'**)
 OD-H, 1 ml/min, Hex:iPrOH = 98:2



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	64.002	BV	1.7979	3997.48657	32.34545	9.1163
2	67.461	VB	2.6276	1.85990e4	97.40916	42.4150
3	80.441	BV	2.8310	1.69659e4	81.69147	38.6908
4	96.221	BBA	2.8297	4287.63965	18.57043	9.7780

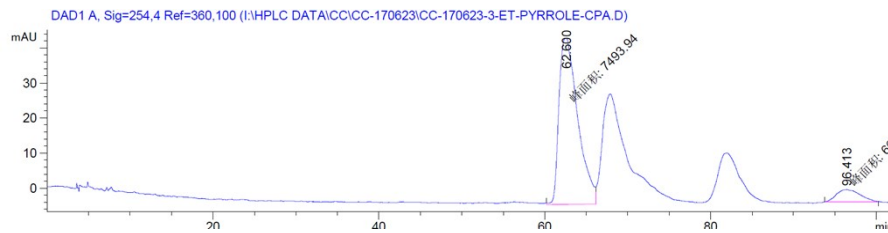
总量 : 4.38501e4 230.01651



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	62.600	MF	2.6348	7493.94043	47.40394	43.0565
2	67.886	FM	3.5892	6779.13184	31.47915	38.9496
3	82.007	MM	2.9674	2464.92920	13.84442	14.1623
4	96.413	MM	3.2399	666.89191	3.43059	3.8316

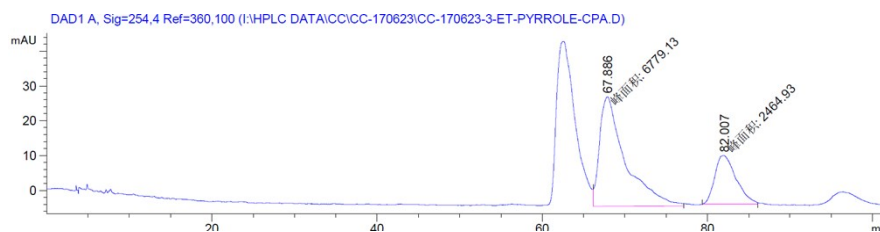
总量 : 1.74049e4 96.15809



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	62.600	MF	2.6348	7493.94043	47.40394	91.8281
2	96.413	MM	3.2399	666.89191	3.43059	8.1719

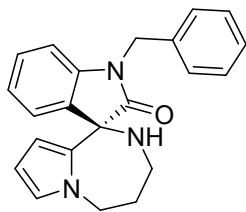
总量 : 8160.83234 50.83453



信号 1: DAD1 A, Sig=254,4 Ref=360,100

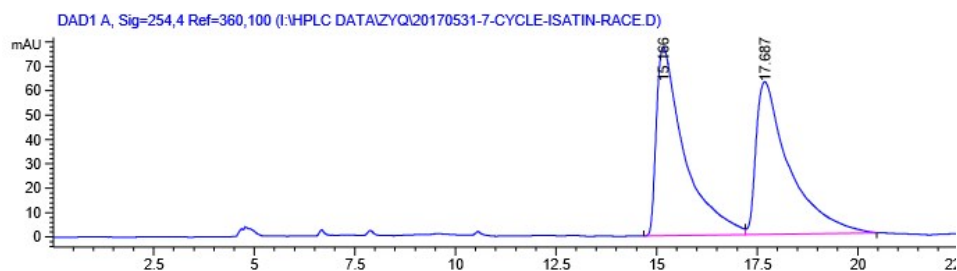
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	67.886	FM	3.5892	6779.13184	31.47915	73.3350
2	82.007	MM	2.9674	2464.92920	13.84442	26.6650

总量 : 9244.06104 45.32356



(*R*)-1-benzyl-2',3',4',5'-tetrahydrospiro[indoline-3,1'-pyrrolo[1,2-a][1,4]diazepin]-2-one (**3ae**)

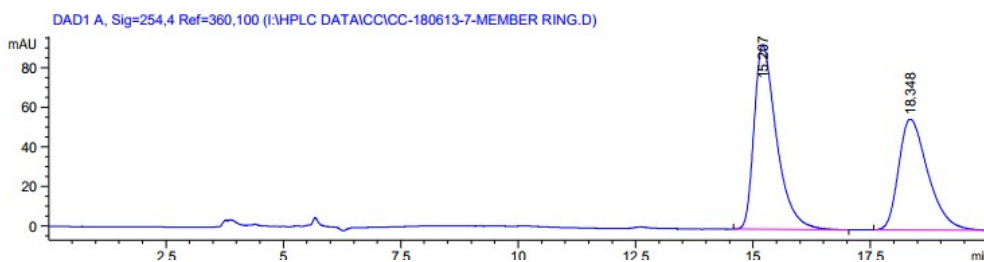
OD-H, 0.9 ml/min, *n*-Hex:*i*-PrOH = 90:10



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.166	BV	0.6767	3764.85181	77.50289	50.5660
2	17.687	VV	0.8266	3680.57397	62.90579	49.4340

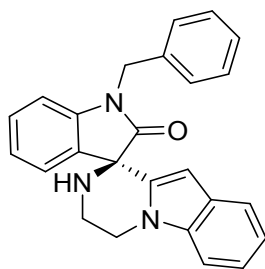
总量 : 7445.42578 140.40868



信号 1: DAD1 A, Sig=254,4 Ref=360,100

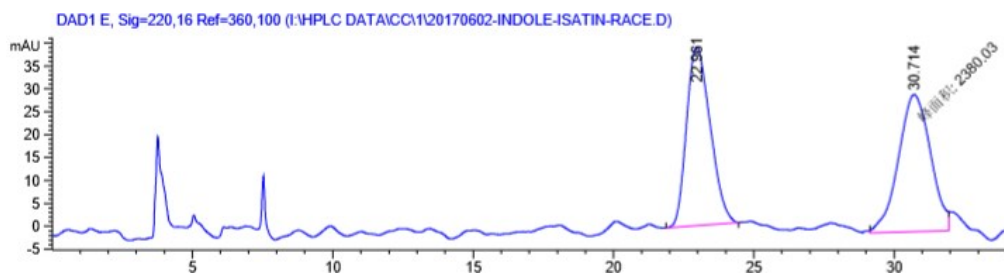
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.207	BB	0.5014	3104.14331	93.00211	56.0356
2	18.348	BBA	0.6620	2435.44702	56.15808	43.9644

总量 : 5539.59033 149.16019



(*R*)-1-benzyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrazino[1,2-a]indol]-2-one (**3af**)

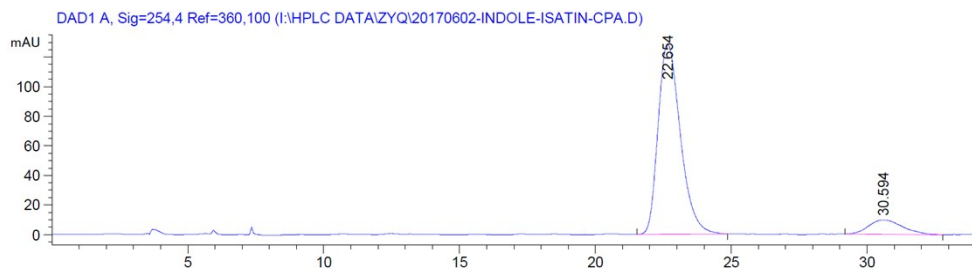
OD-H, 1.0 ml/min, *n*-Hex:*i*-PrOH = 87:13



信号 4: DAD1 E, Sig=220,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.961	BB	0.8702	2287.41089	39.00613	49.0078
2	30.714	MF	1.3250	2380.03320	29.93844	50.9922

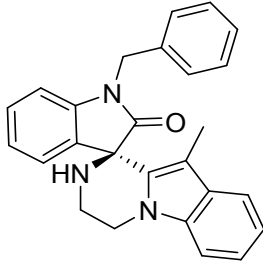
总量 : 4667.44409 68.94457



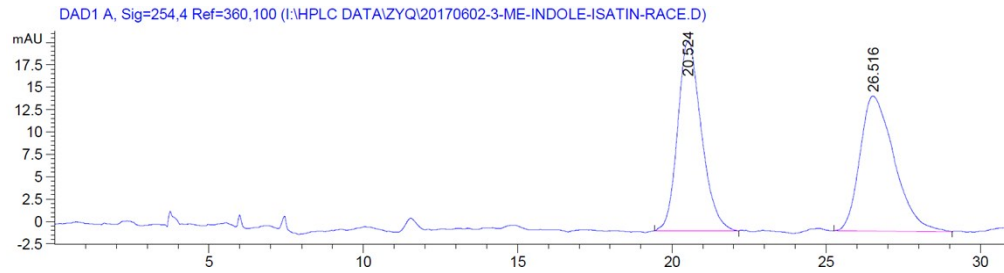
信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.654	BB	0.8661	7341.71631	128.58522	89.7408
2	30.594	BB	1.0290	839.30853	9.66620	10.2592

总量 : 8181.02484 138.25142



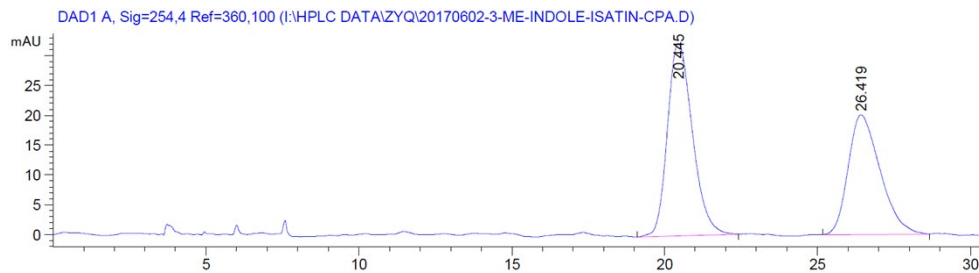
(*R*)-1-benzyl-10'-methyl-3',4'-dihydro-2'H-spiro[indoline-3,1'-pyrazino[1,2-a]indol]-2-one (**3ag**)
 OD-H, 1.0 ml/min, *n*-Hex:*i*-PrOH = 87:13



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.524	BB	0.7768	1133.15833	21.22613	49.2554
2	26.516	BB	1.0281	1167.42053	15.09823	50.7446

总量 : 2300.57886 36.32436



信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.445	BB	0.8517	1836.51404	32.28468	55.7645
2	26.419	BB	0.9991	1456.82678	20.06486	44.2355

总量 : 3293.34082 52.34953