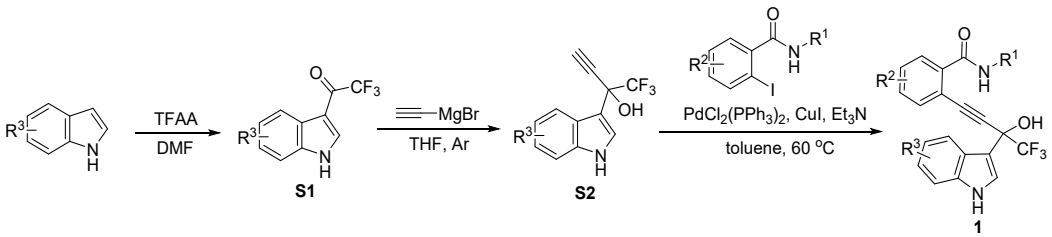
1. General Information

Chemicals were purchased from commercial suppliers and used without further purification unless otherwise stated. Chiral phosphoric acids **CPA1-CPA10** and racemic phosphoric acidwere purchased from commercial suppliers. Solvents were dried and purified according to the standard procedures before use. Reactions were monitored by TLC. Racemic products were obtained from corresponding substrates catalyzed by racemic phophoric acid at 60 °C. Flash column chromatography was performed on silica gels (200-300 mesh). ^{1}HNMR and ^{13}C NMR (300 or 400 and 75 or 101 MHz, respectively) spectra were recorded on a Bruker 300 or 400 MHz NMR spectrometer in DMSO-d_6. ^{1}H NMR chemical shifts are reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard (DMSO-d_6, δ 2.50 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, dd = doublet of doublets, dt = doublet of triplets, q = quartet, m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm from tetramethylsilane (TMS) with the solvent resonances as the internal standard (DMSO-d_6, δ 39.52 ppm). HRMS data were obtained on a Bruker Daltonics. Inc mass instrument (ESI). Chiralpak AD-H, IA, IC, OD column was purchased from Daicel Chemical Industries (Hong Kong, China). Optical rotations were measured on a Perkin-Elmer 241 Polarimeter. Melting points were recorded on a Buchi Melting Point B-545.

2. Substrate Preparation

General Procedure A



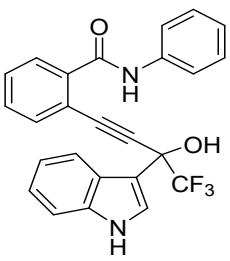
The propargylic alcohols were prepared according to a modified literature

procedure. The procedure is described using **1a** as an example.

Trifluoroacetic anhydride (4.2 g, 20 mmol) was added at 0 °C to a solution of indole (1.17 g, 10 mmol) in N,N-dimethylformamide (20 mL). The resulting mixture was stirred for 3 h at room temperature. The mixture was treated with ice-cold water to obtain a solid. The solid was separated by filtration and washed with water and *n*-pentane and dried under high vacuum to afford **S1** without further purification[1].

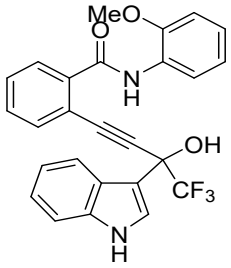
In an ice bath at 0 °C, ethynyl magnesium bromide solution (0.5 M in THF) (30 mL, 15 mmol) was added to a dried 50 mL round bottom flask placed under argon. A solution of the 3-trifluoroacetyl indole **S1** (1.065 g, 5 mmol) in THF was added in a dropwise to the stirring solution of the Grignard reagent. The reaction was left at 25°C and until it was complete by TLC. The reaction was quenched with saturated NH_4Cl (aq.) solution, extracted with EA and the organic layers were washed with saturated NaCl (aq.) solution. The organic layers were combined, dried over Na_2SO_4 and concentrated under vacuum. The crude product was purified by silica gel chromatography (petroleum ether/ethyl acetate 5:1) to give 1,1,1-trifluoro-2- (1H-indol-3-yl)but-3-yn-2-ol **S2** as a yellow oil[2].

A mixture of a bis(triphenylphosphine)palladium(II) chloride (0.07g, 0.1 mmol), copper iodide (0.04 g, 0.2 mmol), 2-iodo-N-phenylbenzamide(0.76 g, 2.4 mmol), **S2** (0.48 g, 2 mmol), Et_3N (2.4 mL) and toluene (10 mL) was stirred at 60 °C under an argon atmosphere. After being stirred for 2 h at 60 °C, the reaction was quenched with saturated NH_4Cl solution and extracted with EA. The combined organic layer was washed with saturated NaCl solution, dried over Na_2SO_4. Removal of the solvent and column chromatography (petroleum ether / ethyl acetate= 5/1 to 2/1) gave **1a** as a white solid[3].

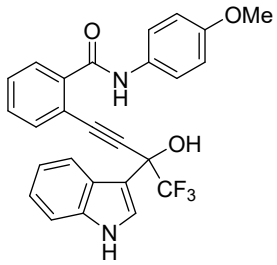


N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1a): white solid, m.p.: 144.7-145.8 °C, 442 mg, 51% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.32 (s, 1H), 10.49 (s, 1H), 7.77 (d, J = 7.0 Hz, 3H), 7.66 (d, J = 12.6 Hz, 3H),

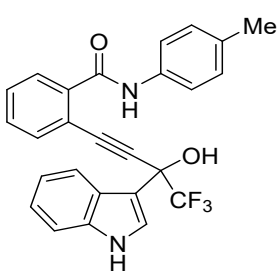
7.59 (s, 3H), 7.41–7.28 (m, 3H), 7.08 (t, J = 7.5 Hz, 2H), 6.92 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.2, 140.1, 139.2, 136.7, 133.1, 130.1, 129.4, 128.7, 127.8, 126.3, 124.5 (q, *J* = 287.8 Hz), 125.0, 123.8, 121.4, 121.0, 119.9, 119.3, 119.0, 111.7, 111.1, 89.8, 83.1, 70.0 (q, *J* = 32.3 Hz). HRMS (ESI) Calcd. for $C_{25}H_{18}F_3N_2O_2^+$ [M+H$^+$]: 435.1315, Found: 435.1325.



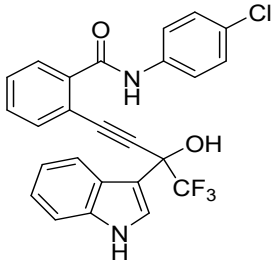
N-(2-methoxyphenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide(1b): yellow solid, m.p.: 98.3- 100.3 °C, 492 mg, 53%yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.30 (s, 1H), 9.46 (s, 1H), 7.98 (d, *J* = 7.1 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.65 (d, *J* = 12.5 Hz, 3H), 7.58 (d, *J* = 9.8 Hz, 3H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.14 (t, *J* = 7.7 Hz, 1H), 7.07 (dd, *J* = 17.5, 8.3 Hz, 2H), 6.95 (d,*J* = 6.2 Hz, 2H), 3.77 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.8, 150.7, 139.4, 136.7, 133.4, 130.3, 129.4, 128.0, 126.9, 126.2, 125.4, 125.0, 124.5 (q, *J* = 288.9 Hz), 123.0, 121.4, 120.9, 120.3, 119.2, 119.0, 111.7, 111.3, 111.1, 90.1, 83.2, 70.0 (q, *J* = 33.3 Hz), 55.7. HRMS (ESI) Calcd. for $C_{26}H_{20}F_3N_2O_3^+$ [M+H$^+$]: 465.1421, Found: 465.1444.



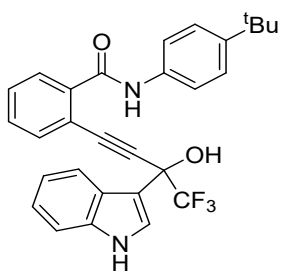
N-(4-methoxyphenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1c): yellow solid, m.p.: 130.1-132.5 °C, 399 mg, 43% yield. ^{1}H NMR (300 MHz, DMSO-d_6) δ 11.34 (s, 1H), 10.36 (s, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.72–7.60 (m, 5H), 7.58 (s, 3H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.07 (t, *J* = 7.5 Hz, 1H), 6.91 (t, *J* = 9.9 Hz, 3H), 3.72 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.7, 155.5, 140.2, 136.7, 133.1, 132.3, 130.0, 129.4, 127.7, 126.3, 125.0, 121.4, 121.0, 119.2, 119.0, 113.8, 111.7, 111.1, 89.7, 83.1, 70.0 (q, *J* = 32.3 Hz), 55.2. HRMS (ESI) Calcd. for $C_{26}H_{20}F_3N_2O_3^+$ [M+H$^+$]: 465.1421, Found: 465.1426.



N-(p-tolyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamide (1d): white solid, m.p.: 158.5-159.9 °C , 627 mg, 70% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.31 (s, 1H), 10.39 (s, 1H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.71–7.60 (m, 5H), 7.57 (s, 3H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.13 (d, *J* = 7.9 Hz, 2H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.93 (t, *J* = 7.5 Hz, 1H), 2.26 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.0, 140.2, 136.7, 136.7, 133.1, 132.7, 130.0, 129.4, 129.1, 127.7, 126.3, 125.0, 124.5 (q, *J* = 287.8 Hz), 121.4, 121.0, 119.9, 119.2, 119.0, 111.7, 111.1, 89.7, 83.1, 70.0 (q, *J* = 33.3 Hz), 20.6. HRMS (ESI) Calcd. for $C_{26}H_{19}F_3N_2NaO_2^+$ [M+Na$^+$]: 471.1291, Found: 471.1302.

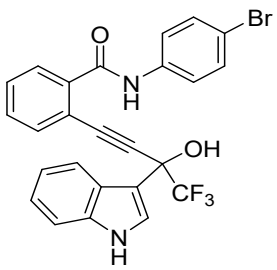


N-(4-chlorophenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1e): white solid, m.p.: 174.3-175.9 °C, 347 mg, 37% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.31 (s, 1H), 10.63 (s, 1H), 7.78 (t, *J* = 8.0 Hz, 3H), 7.63 (s, 3H), 7.58 (s, 3H), 7.38 (d, *J* = 8.6 Hz, 3H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.92 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.3, 139.9, 138.1, 136.7, 133.1, 130.2, 129.5, 128.6, 127.8, 127.3, 126.1, 124.9, 124.5 (q, *J* = 286.8 Hz), 121.4, 121.3, 121.0, 119.3, 119.0, 111.8, 111.1, 89.8, 82.9, 70.0 (q, *J* = 33.3 Hz). HRMS(ESI) Calcd. for $C_{26}H_{16}ClF_3N_2NaO_2^+$ [M+Na$^+$]: 491.0745 (^{35}Cl), 493.0715 (^{37}Cl), Found: 491.0755 (^{35}Cl), 493.0738 (^{35}Cl).

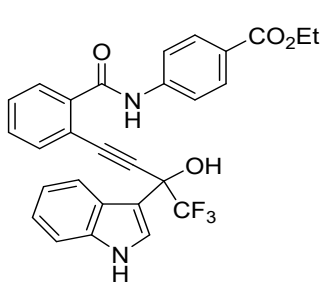


N-(4-(tert-butyl)phenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1f): white solid, m.p.: 113.4-115.2 °C , 334 mg, 34% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.31 (s, 1H), 10.38 (s, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.70 (s, 1H), 7.65 (d, *J* = 8.6 Hz, 4H), 7.58 (d, *J* = 8.3 Hz, 3H), 7.43–7.26 (m, 3H), 7.07 (t, *J* = 7.5 Hz, 1H), 6.90 (t, *J* = 7.5 Hz, 1H), 1.26 (s, 9H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.1, 146.2, 140.1, 136.8, 136.6,

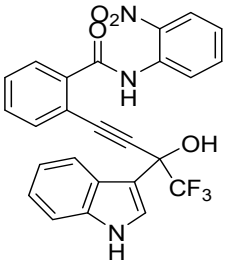
133.2, 130.1, 129.4, 127.8, 126.3, 125.4, 125.0, 124.6 (q, J = 286.8 Hz), 121.5, 121.1, 119.8, 119.3, 119.1, 111.8, 111.2, 89.8, 83.2, 70.1 (q, J = 33.3 Hz), 34.2, 31.3. HRMS (ESI) Calcd. for $C_{29}H_{26}F_3N_2O_2^+$ [M+H$^+$]: 491.1941, Found: 491.1948.



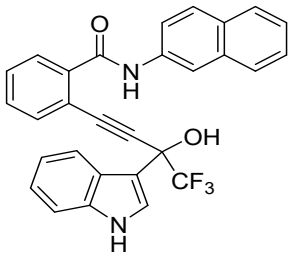
N-(4-bromophenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide(1g): white solid, m.p.: 146.7-148.1 ^{o}C, 513 mg, 50%yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.35 (s, 1H), 10.67 (s, 1H), 7.77 (t, J = 8.4 Hz, 3H), 7.66 (s, 3H), 7.62 – 7.55 (m, 3H), 7.53 (d, J = 8.5 Hz, 2H), 7.39 (d, J = 8.1 Hz, 1H), 7.09 (t, J = 7.5 Hz, 1H), 6.94 (t, J = 7.5 Hz, 1H).^{13}C NMR (101 MHz, DMSO-d_6) δ 166.3, 139.9, 138.6, 136.7, 133.0, 131.5, 130.2, 129.4, 127.7, 126.2, 124.9, 124.5 (q, J = 286.8 Hz), 121.6, 121.4, 121.0, 119.2, 119.0, 115.4, 111.7, 111.0, 89.9, 82.9, 70.0 (q, J = 33.1 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}BrF_3N_2NaO_2^+$ [M+Na$^+$]: 535.0239 (^{79}Br), 537.0219 (^{81}Br), Found: 535.0255 (^{79}Br), 537.0238 (^{81}Br).



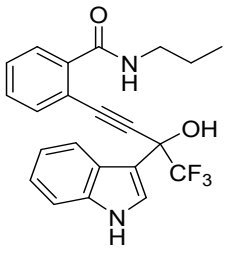
Ethyl 4-(2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamido)benzoate (1h): white solid, m.p.: 108.3-108.9 ^{o}C, 598 mg, 59% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.32 (s, 1H), 10.86 (s, 1H), 7.93 (q, J = 8.5 Hz, 4H), 7.76 (d, J = 8.0 Hz, 1H), 7.71–7.62 (m, 3H), 7.62–7.53 (m, 3H), 7.37 (d, J = 8.1 Hz, 1H), 7.07 (t, J = 7.5 Hz, 1H), 6.92 (t, J = 7.5 Hz, 1H), 4.28 (q, J = 7.0 Hz, 2H), 1.31 (t, J = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.7, 165.5, 143.5, 139.7, 136.7, 133.0, 130.3, 130.2, 129.5, 127.8, 126.2, 124.9, 124.7, 124.4 (q, J = 287.8 Hz), 121.4, 120.9, 119.2, 119.1, 119.0, 111.7, 111.0, 89.9, 82.8, 70.0 (q, J = 32.9 Hz), 60.6, 14.3. HRMS (ESI) Calcd. for $C_{28}H_{21}F_3N_2NaO_4^+$ [M+Na$^+$]: 529.1345, Found: 529.1356.



N-(2-nitrophenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1i): yellow solid, m.p.: 185.3-187.0 °C, 240 mg, 25% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.30 (s, 1H), 10.83 (s, 1H), 8.04 (d, *J* = 8.2 Hz, 1H), 7.83–7.74 (m, 2H), 7.72 (d, *J* = 7.3 Hz, 3H), 7.66–7.54 (m, 4H), 7.46 7.32 (m, 2H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.93 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.8, 142.3, 138.2, 136.7, 134.2, 133.6, 131.3, 130.9, 129.6, 127.9, 126.0, 125.7, 125.6, 125.1, 124.9, 124.5 (q, *J* = 286.8 Hz), 121.4, 120.9, 119.2, 119.2, 111.7, 110.9, 90.3, 82.9, 70.0 (q, *J* = 32.3 Hz). HRMS (ESI) Calcd. for $C_{25}H_{17}F_3N_3O_4^+$ [M+H$^+$]: 480.1166, Found: 480.1166.

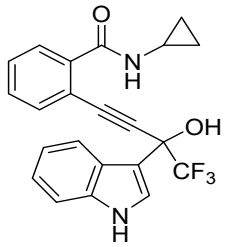


N-(naphthalen-2-yl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1j): white solid, m.p.: 221.7-222.4 °C,417 mg, 43% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.36 (s, 1H), 10.73 (s, 1H), 8.50 (s, 1H), 7.86 (dd, *J* = 14.5, 7.9 Hz, 3H), 7.79 (dd, *J* = 13.9, 8.6 Hz, 2H), 7.74–7.65 (m, 3H), 7.59 (dd, *J* = 9.3, 5.2 Hz, 3H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.44–7.33 (m, 2H), 7.06 (t, *J* = 7.6 Hz, 1H), 6.92 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.5, 140.1, 136.8, 136.7, 133.4, 133.1, 130.2, 130.1, 128.3, 127.9, 127.6, 127.6, 126.5, 126.3, 125.0, 124.9, 124.5(q, *J* = 287.8 Hz), 121.4, 121.0, 120.6, 119.3, 119.1, 116.1, 111.7, 111.1, 89.9, 83.1, 70.05 (q, *J* = 32.7 Hz). HRMS (ESI) Calcd. for $C_{29}H_{19}F_3N_2NaO_2^+$ [M+Na$^+$]: 507.1291, Found: 507.1293.

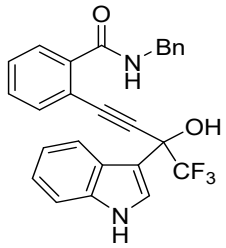


N-propyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1k): yellow solid, m.p.: 90.2-91.5 °C, 264 mg, 33% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.39 (s, 1H), 8.38 (s, 1H), 7.82 (d, *J* = 7.9 Hz, 1H), 7.75 (s, 1H), 7.57 (d, *J* = 7.6 Hz, 2H), 7.50 (s, 3H), 7.40 (d, *J* = 8.1 Hz, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 7.4 Hz, 1H), 3.28–3.04 (m, 2H), 1.57–1.39 (m, 2H), 0.86 (t, *J* = 7.4

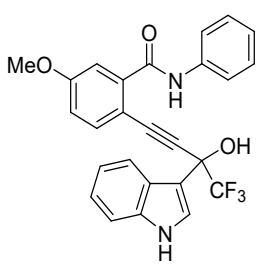
Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 140.3, 136.8, 133.0, 129.6, 129.2, 127.6, 126.6, 125.0, 124.6 (q, J = 287.8 Hz), 121.4, 121.0, 119.2, 118.8, 111.7, 111.2, 89.5, 83.2, 70.1 (q, J = 33.3 Hz), 41.0, 22.2, 11.5. HRMS (ESI) Calcd. for $C_{22}H_{20}F_3N_2O_2^+$ [M+H$^+$]: 401.1472, Found:401.1483.



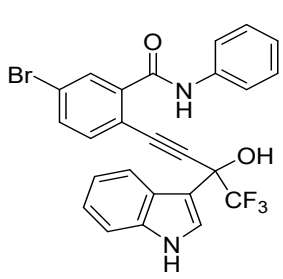
N-cyclopropyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamide(1l): white solid, m.p.: 99.7-101.1 °C, 359 mg, 45% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.39 (s, 1H), 8.48 (s, 1H), 7.82 (d, J = 7.9 Hz, 1H), 7.77 (s, 1H), 7.58 (s, 2H), 7.48 (s, 3H), 7.40 (d, J = 8.1 Hz, 1H), 7.12 (t, J = 7.5 Hz, 1H), 7.03 (t, J = 7.5 Hz, 1H), 2.82 (d, J = 3.1 Hz, 1H), 0.66 (d, J = 6.4 Hz, 2H), 0.52 (s, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 168.7, 140.1, 136.8, 133.0, 129.7, 129.3, 127.6, 126.6, 125.0, 124.6 (q, J = 286.8 Hz), 121.4, 121.1, 119.3, 118.7, 111.8, 111.2, 89.5, 83.2, 70.1 (q, J = 33.3 Hz), 23.0, 5.8, 5.6. HRMS (ESI) Calcd. for $C_{22}H_{18}F_3N_2O_2^+$ [M+H$^+$]: 399.1315, Found: 399.1306.



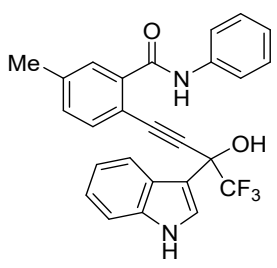
N-benzyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1m): yellow solid, m.p.: 92.8-93.8 °C, 323 mg, 36% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.39 (s, 1H), 8.97 (t, J = 5.3 Hz, 1H), 7.84 (d, J = 7.9 Hz, 1H), 7.78 (s, 1H), 7.61 (s, 2H), 7.54 (d, J = 9.9 Hz, 3H), 7.40 (d, J = 8.1 Hz, 1H), 7.35 (d, J = 7.3 Hz, 2H), 7.28 (t, J = 7.2 Hz, 2H), 7.24–7.17 (m, 1H), 7.12 (t, J = 7.4 Hz, 1H), 7.03 (t, J = 7.4 Hz, 1H), 4.51 (dd, J = 15.1, 6.0 Hz, 1H), 4.40 (dd, J = 15.1, 5.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.6, 139.8, 139.2, 136.8, 133.2, 129.9, 129.3, 128.4, 127.7, 127.3, 126.9, 126.6, 125.1, 124.6 (q, J = 286.8 Hz), 121.4, 121.1, 119.3, 119.0, 111.8, 111.2, 89.6, 83.3, 70.2 (q, J = 32.8 Hz), 42.7. HRMS (ESI) Calcd. for $C_{26}H_{20}F_3N_2O_2^+$ [M+H$^+$]: 449.1472, Found: 449.1493.



5-Methoxy-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1n): white solid, m.p.: 154.9-155.9 °C , 631 mg, 68% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.29 (s, 1H), 10.46 (s, 1H), 7.77 (d, *J* = 7.7 Hz, 3H), 7.66 (s, 1H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.50 (s, 1H), 7.34 (dd, *J* = 17.0, 8.2 Hz, 3H), 7.20 (s, 1H), 7.16–7.01 (m, 3H), 6.91 (t, *J* = 7.5 Hz, 1H), 3.86 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.9, 159.6, 141.6, 139.2, 136.7, 134.8, 128.7, 126.3, 125.0, 124.6 (q, *J* = 286.8 Hz), 123.8, 121.4, 121.1, 119.9, 119.2, 115.9, 113.3, 111.7, 111.3, 111.0, 88.2, 83.1, 70.03 (q, *J* = 32.8 Hz), 55.8. HRMS (ESI) Calcd. for $C_{26}H_{20}F_3N_2O_3^+$ [M+H$^+$]: 465.1421, Found: 465.1413.

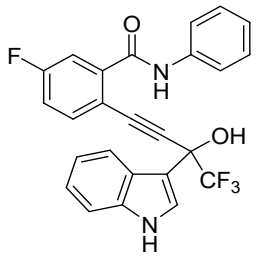


5-Bromo-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1o): white solid, m.p.: 170.5-171.6 °C, 544 mg, 53% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.33 (s, 1H), 10.57 (s, 1H), 7.89 (s, 1H), 7.76 (t, *J* = 9.3 Hz, 4H), 7.64 (d, *J* = 8.4 Hz, 2H), 7.58 (d, *J* = 8.3 Hz, 1H), 7.35 (dd, *J* = 14.8, 7.7 Hz, 3H), 7.08 (dd, *J* = 17.1, 8.1 Hz, 2H), 6.91 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 164.6, 141.7, 138.9, 136.7, 134.9, 133.0, 130.5, 128.8, 126.2, 124.9, 124.4 (q, *J* = 286.8 Hz), 124.0, 122.6, 121.4, 121.0, 119.9, 119.3, 118.3, 111.8, 110.9, 90.8, 82.2, 70.1 (q, *J* = 32.4 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}BrF_3N_2NaO_2^+$ [M+Na$^+$]: 535.0239 (^{79}Br), 537.0219 (^{81}Br), Found: 535.0243 (^{79}Br), 537.0225 (^{81}Br).

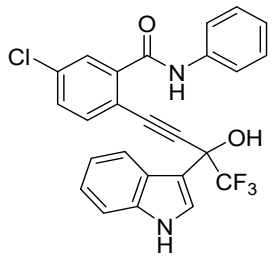


5-Methyl-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1p): white solid, m.p.: 160.0-161.2 °C, 448 mg, 50% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.30 (s, 1H), 10.45 (s, 1H), 7.83–7.73 (m, 3H), 7.67 (d, *J* = 2.3 Hz, 1H), 7.53 (t, *J* = 3.9 Hz, 2H), 7.48 (s, 1H), 7.41–7.28 (m, 4H), 7.07 (dd, *J* = 10.8, 4.7 Hz, 2H), 6.92 (t,*J* = 7.5 Hz, 1H), 2.41 (s,

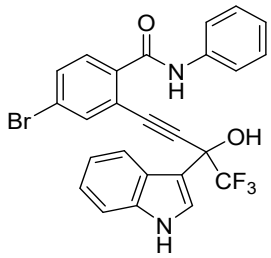
3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.2, 140.0, 139.4, 139.2, 136.7, 133.0, 130.6, 128.7, 128.2, 126.3, 125.0, 124.5 (q, *J* = 286.8 Hz), 123.7, 121.4, 121.0, 119.8, 119.2, 116.1, 111.7, 111.2, 89.1, 83.2, 70.0 (q, *J* = 32.8 Hz), 21.0. HRMS (ESI) Calcd. for $C_{26}H_{19}F_3N_2NaO_2^+$ [M+Na^+]: 471.1291, Found: 471.1299.



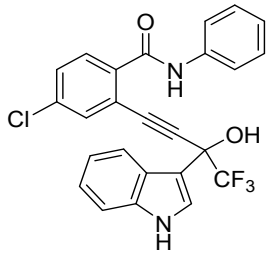
5-Fluoro-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1q): white solid, m.p.: 160.1-162.0 °C, 353 mg, 39% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.33 (s, 1H), 10.56 (s, 1H), 7.76 (d, *J* = 7.2 Hz, 3H), 7.73–7.68 (m, 1H), 7.66 (s, 1H), 7.60 (d, *J* = 8.1 Hz, 2H), 7.44 (t, *J* = 8.5 Hz, 1H), 7.36 (dd, *J* = 15.1, 7.8 Hz, 3H), 7.09 (dd, *J* = 15.6, 7.9 Hz, 2H), 6.92 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 164.7, 161.8 (d, *J* = 250.0 Hz), 142.3(d, *J* = 7.4 Hz), 138.9, 136.7, 135.5(d, *J* = 8.6 Hz), 128.7, 126.2, 124.9, 124.4 (q, *J* = 286.8 Hz), 123.9, 121.4, 121.0, 119.9, 119.2, 117.3 (d, *J* = 22.2 Hz), 115.5 (d, *J* = 3.3 Hz), 115.2 (d, *J* = 23.7 Hz), 111.7, 111.0, 89.5, 82.0, 70.0 (q, *J* = 32.3 Hz). HRMS (ESI) Calcd. for $C_{25}H_{17}F_4N_2O_2^+$ [M+H^+]: 453.1221, Found: 453.1219.



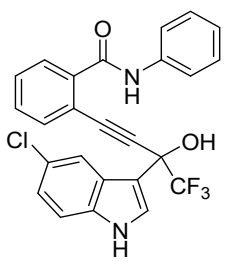
5-Chloro-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1r): white solid, m.p.: 166.3-168.0 °C, 571 mg, 61% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.33 (s, 1H), 10.57 (s, 1H), 7.75 (d, *J* = 8.2 Hz, 4H), 7.65 (t, *J* = 10.5 Hz, 4H), 7.36 (dd, *J* = 15.3, 7.9 Hz, 3H), 7.09 (dd, *J* = 17.2, 7.8 Hz, 2H), 6.91 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 164.6, 141.6, 138.9, 136.7, 134.8, 134.0, 130.1, 128.8, 127.7, 126.2, 124.9, 124.4 (q, *J* = 287.8 Hz), 124.0, 121.4, 121.0, 119.9, 119.3, 118.0, 111.7, 110.9, 90.7, 82.1, 70.0 (q, *J* = 33.0 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}ClF_3N_2NaO_2^+$ [M+Na^+]: 491.0745 (^{35}Cl), 493.0715 (^{37}Cl), Found: 491.0756 (^{35}Cl), 493.0736 (^{37}Cl).



4-Bromo-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1s): white solid, m.p.: 115.1-116.1 °C, 359 mg, 35% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.33 (s, 1H), 10.53 (s, 1H), 7.86–7.71 (m, 5H), 7.66 (d, *J* = 2.3 Hz, 1H), 7.62 (d, *J* = 8.9 Hz, 2H), 7.35 (dd, *J* = 16.7, 8.2 Hz, 3H), 7.08 (dd, *J* = 14.9, 7.5 Hz, 2H), 6.91 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.1, 139.0, 138.9, 136.7, 135.0, 132.3, 129.8, 128.7, 126.2, 124.8, 124.3 (q, *J* = 287.8 Hz), 123.9, 122.8, 121.4, 121.2, 120.9, 119.8, 119.2, 111.7, 110.7, 91.0, 81.6, 70.0 (q, *J* = 33.3 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}BrF_3N_2NaO_2^+$ [M+Na$^+$]: 535.0239 (^{79}Br), 537.0219 (^{81}Br), Found: 535.0261 (^{79}Br), 537.0243 (^{81}Br).

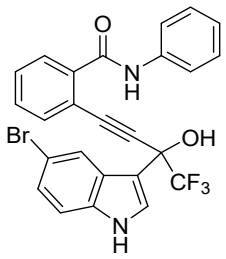


4-Chloro-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1t): white solid, m.p.: 166.9-167.5 °C, 337 mg, 36% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.33 (s, 1H), 10.54 (s, 1H), 7.81–7.73 (m, 3H), 7.72–7.61 (m, 5H), 7.36 (dd, *J* = 18.0, 8.2 Hz, 3H), 7.09 (dd, *J* = 14.3, 7.2 Hz, 2H), 6.92 (t, *J* = 7.5 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.1, 139.0, 138.7, 136.7, 134.5, 132.3, 129.7, 129.5, 128.8, 126.2, 124.9, 124.4 (q, *J* = 286.8 Hz), 123.9, 121.4, 121.1, 120.9, 119.9, 119.3, 111.7, 110.8, 91.0, 81.7, 70.0 (q, *J* = 32.9 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}ClF_3N_2NaO_2^+$ [M+Na$^+$]: 491.0745 (^{35}Cl), 493.0715 (^{37}Cl), Found: 491.0763 (^{35}Cl), 493.0745 (^{37}Cl).

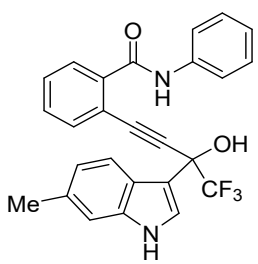


2-(3-(5-Chloro-1H-indol-3-yl)-4,4,4-trifluoro-3-hydroxybut-1-yn-1-yl)-N-phenylbenzamide (1u): white solid, m.p.: 139.0-140.3 °C, 281 mg, 30% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.59 (s, 1H), 10.52 (s, 1H), 7.84 –7.72 (m, 5H), 7.68 (d, *J* = 4.8 Hz, 2H), 7.62–7.54 (m, 2H), 7.42 (d, *J* = 8.7 Hz, 1H), 7.33 (t, *J* = 7.7 Hz, 2H), 7.17–7.03 (m, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.2, 140.1, 139.2, 135.2, 133.1, 130.2, 129.6, 128.7, 128.2, 127.8, 126.0, 124.4 (q, *J* = 287.8 Hz),

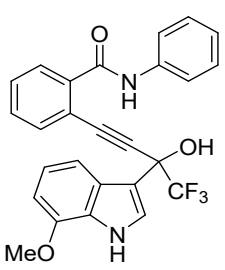
124.0, 123.8, 121.6, 120.1, 119.8, 118.9, 113.5, 111.1, 89.4, 83.3, 70.0 (q, J = 33.0 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}ClF_3N_2NaO_2^+$ [M+Na$^+$]: 491.0745 (^{35}Cl), 493.0715 (^{37}Cl), Found: 491.0762 (^{35}Cl), 493.0743 (^{37}Cl).



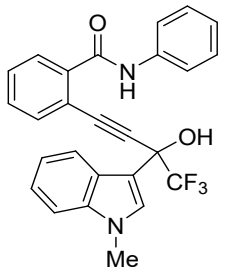
2-(3-(5-Bromo-1H-indol-3-yl)-4,4,4-trifluoro-3-hydroxybut-1-yn-1-yl)-N-phenylbenzamide (1v): white solid, m.p.: 139.1-140.3 ^{o}C , 462 mg, 45% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.61 (s, 1H), 10.52 (s, 1H), 7.92 (s, 1H), 7.84–7.73 (m, 4H), 7.68 (d, J = 4.1 Hz, 2H), 7.63–7.52 (m, 2H), 7.38 (d, J = 8.7 Hz, 1H), 7.33 (t, J = 7.7 Hz, 2H), 7.24 (d, J = 8.7 Hz, 1H), 7.08 (t, J = 7.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.2, 140.1, 139.2, 135.5, 133.1, 130.2, 129.6, 128.8, 128.1, 127.8, 126.7, 124.4 (q, J = 286.8 Hz), 124.1, 123.8, 123.1,119.9, 118.9, 114.0, 112.1, 111.0, 89.4, 83.3, 70.0 (q, J = 33.1 Hz). HRMS (ESI) Calcd. for $C_{25}H_{16}BrF_3N_2NaO_2^+$ [M+Na$^+$]: 535.0239 (^{79}Br), 537.0219 (^{81}Br), Found: 535.0261 (^{79}Br), 537.0243 (^{81}Br).



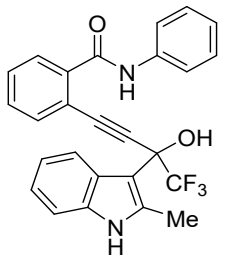
N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(6-methyl-1H-indol-3-yl)but-1-yn-1-yl)benzamide(1w): white solid, m.p.: 109.4- 110.5 ^{o}C , 538 mg, 60% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.15 (s, 1H), 10.49 (s, 1H), 7.77 (d, J = 8.0 Hz, 2H), 7.65 (d, J = 7.9 Hz, 3H), 7.57 (s, 4H), 7.34 (t, J = 7.6 Hz, 2H), 7.16 (s, 1H), 7.09 (t, J = 7.3 Hz, 1H), 6.73 (d,J = 8.3 Hz, 1H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.3, 140.1, 139.3, 137.2, 133.2, 130.6, 130.2, 129.5, 128.8, 127.8,125.6, 124.6 (q, J = 287.8 Hz), 123.9, 123.0, 121.2, 120.8, 120.0, 119.1, 111.5, 111.0, 89.9, 83.1, 70.1 (q, J = 33.3 Hz), 21.4. HRMS (ESI) Calcd. for $C_{26}H_{19}F_3N_2NaO_2^+$ [M+Na$^+$]: 471.1291, Found: 471.1299.



N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(7-methoxy-1H-indol-3-yl)but-1-yn-1-yl)benzamide (1x): white solid, m.p.:1 55.1-156.2 °C, 566 mg, 61%yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.46 (s, 1H), 10.50 (s, 1H), 7.78 (d, *J* = 8.0 Hz, 2H), 7.64 (d, *J* = 6.2 Hz, 2H), 7.61–7.51 (m, 4H), 7.39 (d, *J* = 8.1 Hz, 1H), 7.33 (t, *J* = 7.7 Hz, 2H), 7.08 (t, *J* = 7.3 Hz, 1H), 6.84 (t, *J* = 7.9 Hz, 1H), 6.63 (d,*J* = 7.7 Hz, 1H), 3.89 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.2, 146.2, 140.1, 139.2, 133.1, 130.1, 129.4, 128.8, 127.8, 126.9, 126.5, 125.8, 124.5 (q, *J* = 287.8 Hz), 123.8, 119.9, 119.8, 119.1, 113.8, 111.7, 101.8, 89.8, 83.1, 70.0 (q, *J* = 32.9 Hz), 55.3. HRMS (ESI) Calcd. for $C_{26}H_{20}F_3N_2O_3^+$ [M+H$^+$]: 465.1421, Found: 465.1410.



N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1-methyl-1H-indol-3-yl)but-1-yn-1-yl)benzamide (3): yellow solid, m.p.: 90.0-90.9 °C, 350 mg, 39% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.55 (s, 1H), 7.79 (d, *J* = 7.9 Hz, 3H), 7.65 (s, 2H), 7.62 (s, 1H), 7.58 (s, 3H), 7.42–7.28 (m, 3H), 7.19–7.05 (m, 2H), 6.97 (t,*J* = 7.5 Hz, 1H), 3.60 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.3, 140.2, 139.2, 137.1, 133.1, 130.3, 130.1, 129.5, 128.7, 127.7, 125.2, 124.4 (q, *J* = 286.8 Hz), 123.8, 121.5, 121.2, 119.9, 119.4, 118.9, 110.2, 110.0, 89.5, 83.0, 69.9 (q, *J* = 33.3 Hz), 32.4. HRMS (ESI) Calcd. for $C_{26}H_{19}F_3N_2NaO_2^+$ [M+Na$^+$]: 471.1291, Found: 471.1300.

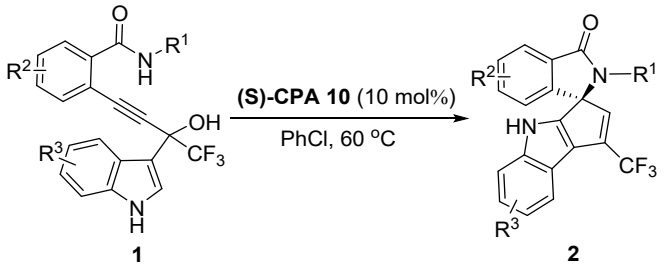


N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(2-methyl-1H-indol-3-yl)but-1-yn-1-yl)benzamide (5): white solid, m.p.: 162.7-163.6 °C , 421 mg, 47% yield. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.15 (s, 1H), 10.47 (s, 1H), 7.90 (d, *J* = 8.1 Hz, 1H), 7.75 (d, *J* = 7.9 Hz, 2H), 7.68–7.60 (m, 3H), 7.57 (d, *J* = 3.3 Hz, 2H), 7.33 (t, *J* = 7.6 Hz, 2H), 7.24 (d, *J* = 8.0 Hz, 1H), 7.09 (t, *J* = 7.3 Hz, 1H), 6.97 (t, *J* = 7.5 Hz, 1H), 6.83 (t,*J* = 7.5 Hz, 1H), 2.50 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.1, 140.0, 139.3, 135.3, 134.7, 133.2, 130.1, 129.4, 128.7, 127.8, 127.1, 124.9 (q, *J* = 287.8 Hz), 123.8, 120.6, 120.4,

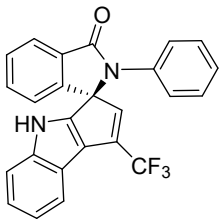
119.9, 119.1, 119.0, 110.5, 104.8, 89.9, 84.3, 70.5 (q, J = 33.3 Hz), 13.6. HRMS (ESI) Calcd. for $C_{26}H_{19}F_3N_2NaO_2^+$ [M+Na$^+$]: 471.1291, Found: 471.1298.

3.Catalytic enantioselective synthesis of 2

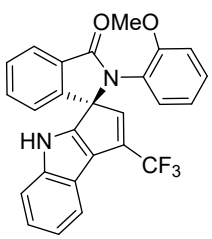
General Procedure B



Compound **1**(0.10 mmol) and (S)-**CPA10** (10 mol%) were dissolved in PhCl (2.0 mL) and stirred at 60 °C. The reaction progress was monitored by TLC. Upon completion, the mixture was subjected to column chromatography on silica gel (Petroleum ether/ EtOAc =5:1) to afford the desired product **2**.

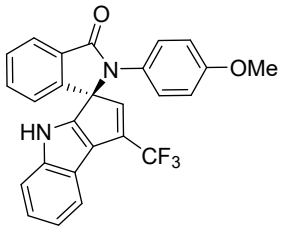


(R)-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (**2a**): white solid, m.p.: 291.5-293.0 °C, 30.3 mg,73% yield, 88% ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 30/70, 1.0 mL/min., t_{major}= 9.348 min., t_{minor} = 5.190 min.). $[\alpha]_D^{20}$ = +80.7 (c 1.0, ethyl acetate). ^{1}H NMR (300 MHz, DMSO-d_6) δ 12.03 (s, 1H), 7.97 (d, J = 7.4 Hz, 1H), 7.70–7.52 (m, 2H), 7.52–7.43 (m, 1H), 7.43–7.26 (m, 5H), 7.26–7.05 (m, 3H), 6.97 (d, J = 7.2 Hz, 1H), 6.91 (d, J = 2.2 Hz, 1H). ^{13}C NMR (75 MHz, DMSO-d_6) δ 167.2, 145.4, 141.0, 140.8, 136.4, 133.7 (q, J = 6.0 Hz), 133.2, 132.1 (q, J = 35.2 Hz), 132.1, 129.5, 128.9, 127.1, 125.5, 124.3, 122.1, 122.0 (q, J = 267.7 Hz), 121.2, 120.8,120.3, 119.6, 118.2,113.1, 72.1. HRMS (ESI) Calcd. for $C_{25}H_{26}F_3N_2O^+$ [M+H$^+$]: 417.1209, Found: 417.1204.

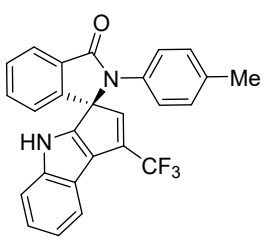


(R)-2'-(2-methoxyphenyl)-1-(trifluoromethyl)-4H-spiro

[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2b**): white solid, m.p.: 294.2-295.1 °C, 32.8 mg, 74% yield, 73% ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 30/70, 1.0 mL/min., t_{major}= 5.765 min., t_{minor} = 4.060 min.). $[\alpha]_D^{20}$ = +129.0 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.91 (s, 1H), 7.93 (d, *J* = 7.4 Hz, 1H), 7.61 (dt, *J* = 22.4, 7.3 Hz, 2H), 7.47–7.33 (m, 2H), 7.26 (t, *J* = 7.8 Hz, 1H), 7.21–7.06 (m, 3H), 7.06– 6.93 (m, 2H), 6.82 (t, *J* = 7.4 Hz, 1H), 6.63 (s, 1H), 3.70 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.3, 156.1, 144.6, 141.7, 140.9, 133.2 (q, *J* = 7.1 Hz), 133.1, 132.1 (q, *J* = 35.3 Hz), 132.0, 130.4, 130.3, 129.7, 124.3, 123.7, 122.1 (q, *J* = 270.7 Hz), 122.0, 121.7, 120.7, 120.3, 119.5, 118.1, 115.9, 113.1, 112.5, 72.4, 55.6. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O_2^+$ [M+H$^+$]: 447.1315, Found: 447.1318.

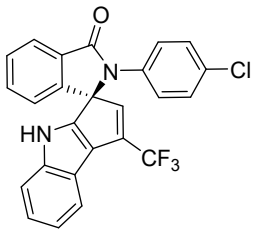


(R)-2'-(4-methoxyphenyl)-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2c**): white solid, m.p.: 155.3-157.0 °C, 33.0 mg, 74% yield, 90% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 10/90, 0.8 mL/min., t_{major} = 23.617 min., t_{minor} = 12.213 min.). $[\alpha]_D^{20}$ = +43.9 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.03 (s, 1H), 7.94 (d, *J* = 7.1 Hz, 1H), 7.68–7.53 (m, 2H), 7.44 (dd, *J* = 6.0, 2.4 Hz, 1H), 7.39–7.33 (m, 1H), 7.28–7.20 (m, 2H), 7.17–7.07 (m, 2H), 6.98 (d, *J* = 7.4 Hz, 1H), 6.91–6.82 (m, 3H), 3.67 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 158.4, 145.3, 141.1, 140.8, 133.7 (q, *J* = 5.9 Hz), 133.1, 132.2, 132.2 (q, *J* = 35.3 Hz), 129.6, 128.5, 128.1, 124.3, 122.1 (q, *J* = 270.7Hz), 122.1, 121.3, 120.8, 119.7, 118.2, 115.9, 114.2, 113.2, 72.4, 55.2. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O_2^+$ [M+H$^+$]: 447.1315, Found: 447.1325.

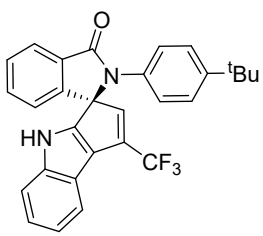


(R)-2'-(p-tolyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (**2d**): white solid, m.p.: 301.3-

302.4 °C, 33.6 mg, 78% yield, 89% ee, HPLCcondition: Chiralpak IA (isopropyl alcohol/n-hexane: 5/95, 0.8 mL/min., t_{major} = 45.114 min., t_{minor} = 21.694 min.). $[\alpha]_D^{20}$ = +114.5 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.02 (s, 1H), 7.94 (d, *J* = 7.1 Hz, 1H), 7.68–7.53 (m, 2H), 7.50–7.41 (m, 1H), 7.35 (dt, *J* = 4.5, 2.8 Hz, 1H), 7.25–7.18 (m, 2H), 7.17–7.06 (m, 4H), 6.97 (d, *J* = 7.4 Hz, 1H), 6.88 (t, *J* = 2.4 Hz, 1H), 2.20 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 145.4, 141.1, 140.9, 137.0, 133.8 (q, *J* = 5.9 Hz), 133.6, 133.3, 132.2, 132.2 (q, *J* = 35.3 Hz), 129.7, 129.5, 126.1, 124.4, 122.2, 122.2 (q, *J* = 270.7 Hz), 121.3, 120.9, 119.7, 118.3, 115.9, 113.2, 72.3, 20.6. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O^+$ [M+H$^+$]: 431.1366, Found: 431.1362.

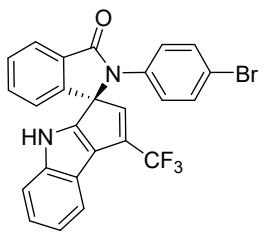


(R)-2'-(4-chlorophenyl)-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2e**): white solid, m.p.: 256.7-257.9 °C, 32.8 mg, 73% yield, 87% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 5/95, 0.8 mL/min., t_{major}= 45.005 min., t_{minor} = 26.411 min.). $[\alpha]_D^{20}$ = +110.2 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.02 (s, 1H), 7.97 (dd, *J* = 6.8, 0.7 Hz, 1H), 7.67–7.54 (m, 2H), 7.51–7.44 (m, 1H), 7.43–7.30 (m, 5H), 7.19–7.09 (m, 2H), 6.96 (d, *J* = 7.4 Hz, 1H), 6.90 (q, *J* = 2.2 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 145.3, 141.1, 140.9, 135.4, 133.6 (q, *J* = 5.05 Hz), 133.5, 132.5 (q, *J* = 35.9 Hz), 131.9, 131.6, 129.8, 129.2, 127.2, 124.5, 122.3, 122.1 (q, *J* = 269.7 Hz), 121.3, 121.0,119.7, 118.4, 116.0, 113.3, 72.0. HRMS (ESI) Calcd. for $C_{25}H_{15}ClF_3N_2O^+$ [M+H$^+$]: 451.0820 (^{35}Cl), 453.0790 (^{37}Cl), Found: 451.0825 (^{35}Cl), 453.0801 (^{37}Cl).

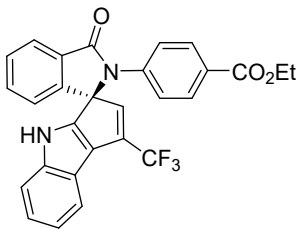


(R)-2'-(4-(tert-butyl)phenyl)-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2f): white solid, m.p.: 270.2-272.1 °C, 26.9 mg, 57% yield, 90% ee, HPLC

condition: Chiralpak IA (isopropyl alcohol/n-hexane: 5/95, 0.8 mL/min., t_{major}= 12.502 min., t_{minor} = 8.663 min.). $[\alpha]_D^{20}$ = +93.5 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.03 (s, 1H), 7.94 (d, *J* = 7.4 Hz, 1H), 7.59 (dt, *J* = 22.5, 7.3 Hz, 2H), 7.48 (d, *J* = 5.8 Hz, 1H), 7.41–7.30 (m, 3H), 7.28 (d, *J* = 8.2 Hz, 2H), 7.13 (d, *J* = 4.3 Hz, 2H), 6.96–6.85 (m, 2H), 1.19 (s, 9H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.5, 149.6, 145.6, 141.2, 141.0, 133.9 (q, *J* = 5.0 Hz), 133.9, 133.3, 132.2, 132.1 (q, *J* = 36.4 Hz), 129.7, 125.9, 125.0, 124.4, 122.3, 122.2 (q, *J* = 269.7 Hz),121.2, 121.0, 119.8, 118.4, 115.9, 113.3, 72.2, 34.4, 31.1. HRMS (ESI) Calcd. for $C_{29}H_{24}F_3N_2O^+$ [M+H$^+$]: 473.1835, Found: 473.1845.

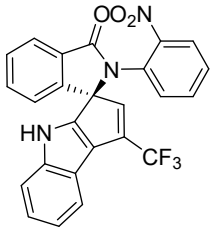


(R)-2'-(4-bromophenyl)-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2g**): yellow solid, m.p.: 266.6-268.0 °C, 33.3 mg, 67% yield, 90% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major}= 12.565 min., t_{minor} = 9.316 min.). $[\alpha]_D^{20}$ = +109.2 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.02 (s, 1H), 7.97 (d, *J* = 7.1 Hz, 1H), 7.67–7.55 (m, 2H), 7.55–7.47 (m, 3H), 7.41–7.35 (m, 1H), 7.34–7.27 (m, 2H), 7.19–7.10 (m, 2H), 6.96 (d, *J* = 7.5 Hz, 1H), 6.91 (t, *J* = 2.3 Hz, 1H).^{13}C NMR (101 MHz, DMSO-d_6) δ 167.3, 145.3, 141.0, 140.9, 135.8, 133.5 (q, *J* = 5.7 Hz), 133.5, 132.4 (q, *J* = 36.0 Hz), 132.0, 131.8, 129.7, 127.3, 124.5, 122.3, 122.1 (q, *J* = 270.7 Hz), 121.3, 121.0, 119.9, 119.7, 118.3, 116.0, 113.2, 71.9. HRMS (ESI) Calcd. for $C_{25}H_{15}BrF_3N_2O^+$ [M+H$^+$]: 495.0314 (^{79}Br), 497.0294 (^{81}Br), Found: 495.0312 (^{79}Br), 497.0296 (^{81}Br).

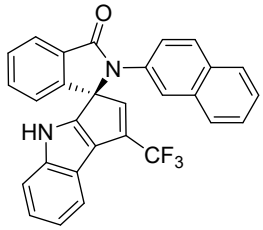


Ethyl-(R)-4-(3'-oxo-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-2'-yl)benzoate (**2h**): white solid, m.p.: 242.3-243.7 °C, 36.3 mg, 74% yield, 85%

ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 20/80, 1.0 mL/min., t_{major} = 7.584 min., t_{minor} = 5.649 min.). $[\alpha]_D^{20}$ = +114.2 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.99 (s, 1H), 7.98 (d, *J* = 7.3 Hz, 1H), 7.88 (d, *J* = 8.3 Hz, 2H), 7.69–7.56 (m, 2H), 7.52 (d, *J* = 8.1 Hz, 3H), 7.40– 7.30 (m, 1H), 7.19–7.10 (m, 2H), 6.98–6.85 (m, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 1.24 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.5, 165.1, 145.6, 141.3, 141.1, 141.0, 133.9, 133.8 (q, *J* = 6.1 Hz), 132.4 (q, *J* = 36.1 Hz), 131.7, 130.1, 129.9, 127.6, 124.7,123.4, 122.5, 122.2 (q, *J* = 270.7 Hz), 121.2, 121.1, 119.8, 118.5, 116.0, 113.3, 71.9, 60.9, 14.2. HRMS (ESI) Calcd. for $C_{28}H_{20}F_3N_2O_3^+$ [M+H$^+$]: 489.1421, Found: 489.1428.

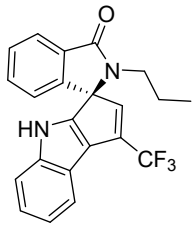


(R)-2'-(2-nitrophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta [b]indole-3,1'-isoindolin]-3'-one (**2i**): white solid, m.p.: 170.9-172.0 °C, 21.8 mg, 47% yield, 69% ee, HPLC condition: Chiralpak AS-H (isopropyl alcohol/n-hexane: 10/90, 1.0 mL/min., t_{major} = 13.613 min., t_{minor} = 32.161 min.). $[\alpha]_D^{20}$ = +28.3 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.01 (s, 1H), 8.06 (d, *J* = 8.0 Hz, 1H), 7.97 (d, *J* = 7.0 Hz, 1H), 7.74–7.60 (m, 3H), 7.56 (t, *J* = 7.7 Hz, 1H), 7.47 (dd, *J* = 14.8, 7.6 Hz, 2H), 7.24–7.08 (m, 3H), 7.04 (d, *J* = 6.9 Hz, 1H), 6.63 (s, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.3, 146.1, 144.3, 141.5, 141.2, 134.5, 134.1, 133.5 (q, *J* = 35.3 Hz), 131.6(q, *J* = 8.1 Hz), 130.8, 130.0, 129.5, 128.5, 128.0, 125.8, 124.7, 122.5, 121.8 (q, *J* = 269.7 Hz), 121.7, 121.1, 119.5, 118.4, 116.4, 113.6, 72.0. HRMS (ESI) Calcd. for $C_{25}H_{15}F_3N_3O_3^+$ [M+H$^+$]: 462.1060, Found: 462.1068.

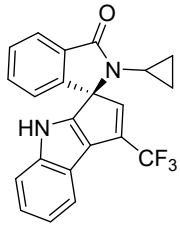


(R)-2'-(naphthalen-2-yl)-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2j**): white solid, m.p.: 147.0-148.2 °C, 24.3 mg, 52% yield, 93% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major} = 11.643 min., t_{minor} = 9.290 min.). $[\alpha]_D^{20}$ =

+130.5 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.06 (s, 1H), 7.99 (d, *J* = 7.4 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 3H), 7.75– 7.55 (m, 3H), 7.54–7.39 (m, 4H), 7.36 (d, *J* = 7.4 Hz, 1H), 7.17–7.04 (m, 2H), 6.99 (d, *J* = 8.6 Hz, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.7, 145.5, 141.2, 141.0, 134.1, 134.0 (q, *J* = 6.1 Hz), 133.6, 132.9, 132.5 (q, *J* = 35.7 Hz), 132.2, 131.8, 129.9, 128.8, 127.8, 127.7, 126.9, 126.6, 124.6, 124.2, 123.9, 122.4, 122.2 (q, *J* = 269.7 Hz), 121.4, 121.1, 119.8, 118.4, 116.2, 113.3, 72.5. HRMS(ESI) Calcd. for $C_{29}H_{18}F_3N_2O^+$ [M+H$^+$]: 467.1366, Found: 467.1371.

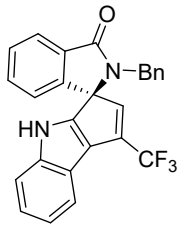


(R)-2'-propyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2k**): yellow oil,6.1 mg, 16% yield, 62% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 10/90, 0.8mL/min., t_{major} = 3.769 min., t_{minor} = 5.737 min.). $[\alpha]_D^{20}$ = +163.8 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.90 (s, 1H), 7.87-7.79 (m, 1H), 7.61–7.47 (m, 3H), 7.35 (dt, *J* = 7.2, 3.3 Hz, 1H), 7.20–7.12 (m, 2H), 6.94 (d, *J* = 7.5 Hz, 1H), 6.59 (dd, *J* = 2.3 Hz, 1H), 3.23–3.02 (m, 2H), 1.40–1.31 (m, 2H), 0.76 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.9, 145.0, 141.1, 141.0, 133.7 (q, *J* = 6.0 Hz), 132.7, 132.5, 129.5, 123.8, 122.2, 122.2 (q, *J* = 270.7 Hz), 121.3, 121.0, 119.8, 118.3, 116.0, 113.2, 70.9, 42.5, 21.9, 11.4. HRMS (ESI) Calcd. for $C_{22}H_{18}F_3N_2O^+$ [M+H$^+$]: 383.1366, Found: 383.1369.

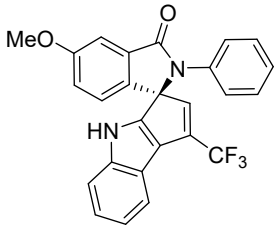


(R)-2'-cyclopropyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (**2l**): yellow solid, m.p.: 190.8-192.1 ^{o}C, 11.8 mg, 31% yield, 54% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 10/90, 1.0 mL/min., t_{major} = 7.141 min., t_{minor} = 13.102 min.). $[\alpha]_D^{20}$ = +80.3 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.86 (s, 1H), 7.82 (d, *J* = 7.4 Hz, 1H), 7.60–7.44 (m, 3H), 7.36 (d, *J* = 5.8 Hz, 1H), 7.20–7.11 (m, 2H), 6.88 (d, *J* = 7.4 Hz, 1H), 6.68 (s, 1H), 1.22 (s, 1H), 0.75 (d, *J* = 4.5 Hz, 1H), 0.63 – 0.35 (m, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ

168.8, 146.0, 141.2, 140.8, 134.3 (q, *J* = 5.6 Hz), 132.8, 132.6, 132.1 (q, *J* = 36.0 Hz), 129.4, 123.8, 122.3 (q, *J* = 270.7 Hz), 122.0, 121.1, 120.8, 119.9, 118.2, 115.8, 113.1, 71.7, 23.5, 4.5, 3.8. HRMS (ESI) Calcd. for $C_{22}H_{16}F_3N_2O^+$ [M+H$^+$]: 381.1209, Found: 381.1218.

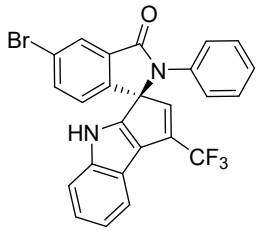


(R)-2'-benzyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2m**): yellow oil, 12.9 mg, 30% yield, 73% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 10/90, 0.8 mL/min, t_{major} = 7.584 min, t_{minor} = 9.155 min). $[\alpha]_D^{20}$ = +62.3 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.72 (s, 1H), 7.91 (d, *J* = 7.4 Hz, 1H), 7.63–7.45 (m, 3H), 7.31–7.25 (m, 1H), 7.17–7.10 (m, 5H), 7.09–7.02 (m, 2H), 6.93 (d, *J* = 7.5 Hz, 1H), 6.09 (dd, *J* = 4.0, 2.1 Hz, 1H), 4.65 (d, *J* = 15.3 Hz, 1H), 4.06 (d, *J* = 15.4 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.9, 144.3, 141.2, 141.1, 137.1, 133.0 (q, *J* = 5.9 Hz), 132.1 (q, *J* = 35.3 Hz), 132.9, 132.1, 129.7, 128.2, 127.9, 127.4, 124.1, 122.2, 122.1 (q, *J* = 269.7 Hz), 121.5, 120.9, 119.8, 118.3, 116.4, 113.2, 70.8, 44.1. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O^+$ [M+H$^+$]: 431.1366, Found: 431.1369.

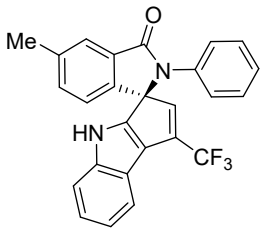


(R)-5'-methoxy-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2n**): yellow solid, m.p.: 147.7-148.4 °C, 36.5 mg, 82% yield, 77% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major} = 10.174 min., t_{minor} = 8.014 min.). $[\alpha]_D^{20}$ = +68.8 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.01 (s, 1H), 7.48–7.42 (m, 2H), 7.39–7.28 (m, 5H), 7.24–7.17 (m, 1H), 7.16–7.09 (m, 3H), 6.87 (d, *J* = 8.4 Hz, 1H), 6.86– 6.83 (m, 1H), 3.87 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.2, 160.7, 145.5, 140.9, 136.5, 133.9 (q, *J* = 5.9 Hz), 133.6, 132.5, 132.0 (q, *J* = 35.9 Hz), 129.0, 127.3, 125.6, 122.4, 122.1, 122.1 (q, *J* = 269.7 Hz), 120.9, 120.9, 119.7, 118.2, 115.7, 113.2, 107.7, 71.8, 56.0. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O_2^+$

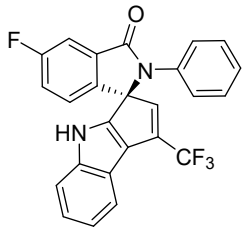
[M+H$^+$]: 447.1315, Found: 447.1311.



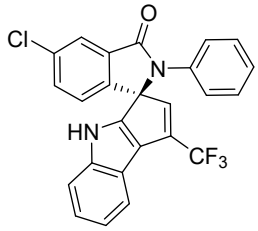
(R)-5'-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2o**): yellow solid, m.p.: 162.4-163.3 ^{o}C, 38.9 mg, 79% yield, 82% ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 10/90, 1.0 mL/min., t_{major} = 24.761 min., t_{minor} = 6.990 min.). $[\alpha]_D^{20}$ = +74.6 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.03 (s, 1H), 8.11 (s, 1H), 7.75 (d, *J* = 8.2 Hz, 1H), 7.45 (d, *J* = 7.2 Hz, 1H), 7.38 (d, *J* = 7.5 Hz, 1H), 7.32 (d, *J* = 4.0 Hz, 4H), 7.27–7.19 (m, 1H), 7.18–7.09 (m, 2H), 6.97–6.86 (m, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 165.9, 144.8, 140.9, 140.3, 136.1, 136.0, 134.4, 133.1 (q, *J* = 6.1 Hz), 132.5 (q, *J* = 35.8 Hz), 129.1, 127.6, 126.9, 125.8, 123.6, 122.6, 122.3, 122.0 (q, *J* = 269.7 Hz), 121.0 (s), 119.7, 118.3, 116.0, 113.3, 71.9. HRMS (ESI) Calcd. for $C_{25}H_{14}BrF_3N_2NaO^+$ [M+Na$^+$]: 517.0134 (^{79}Br), 519.0113 (^{81}Br), Found: 517.0155 (^{79}Br), 519.0132 (^{81}Br).



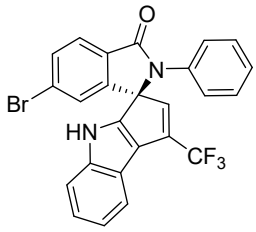
(R)-5'-methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2p**): white solid, m.p.: 150.0-151.2 ^{o}C, 30.8 mg, 72% yield, 81% ee, HPLC condition: Chiralpak AS-H (isopropyl alcohol/n-hexane: 30/70, 1.0 mL/min., t_{major} = 10.078 min., t_{minor} = 5.181 min.). $[\alpha]_D^{20}$ = +55.9 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.00 (s, 1H), 7.77 (s, 1H), 7.46 (d, *J* = 6.1 Hz, 1H), 7.39 (d, *J* = 7.9 Hz, 1H), 7.37–7.26 (m, 5H), 7.21 (d, *J* = 6.1 Hz, 1H), 7.16–7.08 (m, 2H), 6.85 (d, *J* = 6.6 Hz, 2H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 145.6, 140.9, 139.6, 138.2, 136.5, 134.1, 133.9 (q, *J* = 6.0 Hz), 132.2, 132.1 (q, *J* = 37.4 Hz), 129.0, 127.2, 125.6, 124.5, 122.2 (q, *J* = 270.7 Hz), 122.1, 121.0, 120.9, 119.7, 118.3, 115.8, 113.2, 72.0,20.9. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O^+$ [M+H$^+$]: 431.1366, Found: 431.1371.



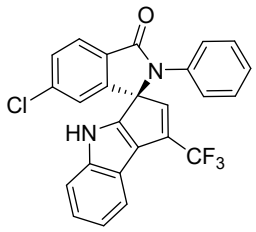
(R)-5'-fluoro-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2q**): yellow solid, m.p.: 224.2-225.7 °C, 32.4 mg, 75% yield, 83% ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 10/90, 1.0 mL/min., t_{major} = 10.290 min., t_{minor} = 5.540 min.). $[\alpha]_D^{20}$ = +98.3 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.03 (s, 1H), 7.79 (dd, *J* = 7.7, 2.4 Hz, 1H), 7.50–7.35 (m, 3H), 7.35–7.28 (m, 4H), 7.22 (dt, *J* = 8.7, 4.4 Hz, 1H), 7.18–7.09 (m, 2H), 7.03 (dd, *J* = 8.4, 4.4 Hz, 1H), 6.90 (t, *J* = 2.4 Hz, 1H).^{13}C NMR (101 MHz, DMSO-d_6) δ 166.27 (d, *J* = 3.4 Hz), 163.00 (d, *J* = 246.7 Hz),145.0, 140.9, 136.7, 136.1, 134.4 (d, *J* = 8.8 Hz), 133.4 (q, *J* = 5.8 Hz), 132.4 (q, *J* = 36.0 Hz), 129.1, 127.6, 125.9, 123.7 (d, *J* = 8.8 Hz), 122.3, 122.1 (q, *J* = 269.7 Hz), 121.0, 120.8 (d, *J* = 24.1 Hz), 119.7, 118.3, 115.9(d, *J* = 1.7 Hz), 113.3, 111.0 (d, *J* = 23.9 Hz), 71.8. HRMS (ESI) Calcd. for $C_{25}H_{15}F_4N_2O^+$ [M+H$^+$]: 435.1115, Found: 435.1123.



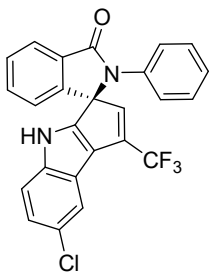
(R)-5'-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2r**): white solid, m.p.: 224.3-225.7 °C, 32.7 mg, 73% yield, 81% ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 10/90, 1.0 mL/min., t_{major} = 18.545 min., t_{minor} = 6.456 min.). $[\alpha]_D^{20}$ = +71.7 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.02 (s, 1H), 7.99 (s, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.45 (d, *J* = 6.8 Hz, 1H), 7.38 (d, *J* = 7.4 Hz, 1H), 7.31 (d, *J* = 2.0 Hz, 4H), 7.23 (d, *J* = 3.8 Hz, 1H), 7.18–7.08 (m, 2H), 6.99 (d, *J* = 8.2 Hz, 1H), 6.89 (s, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.1, 144.9, 140.9, 139.8, 136.0, 134.5, 134.2, 133.3, 133.2 (q, *J* = 5.9 Hz), 132.6 (q, *J* = 35.8 Hz), 129.1, 127.7, 125.9, 124.1, 123.4, 122.1 (q, *J* = 269.7 Hz), 122.4, 121.0, 119.7, 118.4, 116.1, 113.3, 71.9. HRMS (ESI) Calcd. for $C_{25}H_{15}ClF_3N_2O^+$ [M+H$^+$]: 451.0820 (^{35}Cl), 453.0790 (^{37}Cl), Found: 451.0824 (^{35}Cl), 453.0800 (^{37}Cl).



(R)-6'-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2s**): yellow solid, m.p.: 279.8-280.5 °C, 21.6 mg, 44% yield, 91% ee, HPLC condition: Chiralpak AS-H (isopropyl alcohol/n-hexane: 30/70, 1.0 mL/min., t_{major} = 9.584 min., t_{minor} = 5.220 min.). $[\alpha]_D^{20}$ = -14.1 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.04 (s, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 8.2 Hz, 1H), 7.46 (d, *J* = 7.0 Hz, 1H), 7.39 (d, *J* = 7.6 Hz, 1H), 7.32 (d, *J* = 13.6 Hz, 4H), 7.22 (s, 1H), 7.19–7.07 (m, 3H), 6.90 (s, 1H).^{13}C NMR (101 MHz, DMSO-d_6) δ 166.4, 144.7, 143.3, 140.9, 135.9, 133.1 (q, *J* = 6.1 Hz), 133.0, 132.8 (q, *J* = 34.3 Hz), 131.5, 129.1, 127.6, 126.6, 126.3, 126.0, 124.1, 122.3, 122.0 (q, *J* = 269.7 Hz), 121.0, 119.7, 118.4, 116.2, 113.3, 71.6. HRMS (ESI) Calcd. for $C_{25}H_{15}BrF_3N_2O^+$ [M+H$^+$]: 495.0314 (^{79}Br), 497.0294 (^{81}Br), Found: 495.0318 (^{79}Br), 497.0303 (^{81}Br).

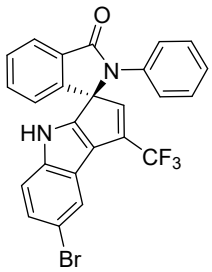


(R)-6'-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2t**): yellow solid, m.p.: 280.6-281.5 °C, 28.6 mg, 64% yield, 88% ee, HPLC condition: Chiralpak AS-H (isopropyl alcohol/n-hexane: 30/70, 1.0 mL/min., t_{major} = 9.157 min., t_{minor} = 5.034 min.). $[\alpha]_D^{20}$ = -13.3(c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.04 (s, 1H), 7.98 (d, *J* = 8.1 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.45 (d, *J* = 7.0 Hz, 1H), 7.38 (d, *J* = 7.4 Hz, 1H), 7.32 (d, *J* = 13.3 Hz, 4H), 7.22 (s, 1H), 7.17–7.09 (m, 2H), 7.03 (s, 1H), 6.89 (s, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 166.3, 144.8, 143.2, 140.9, 137.9, 135.9, 133.1 (q, *J* = 6.7 Hz), 132.5 (q, *J* = 35.6 Hz), 131.1, 130.2, 129.1, 127.7, 126.2, 126.0, 122.3, 122.0 (q, *J* = 269.7 Hz), 121.3, 121.0, 119.7, 118.4, 116.2, 113.3, 71.7. HRMS (ESI) Calcd. for $C_{25}H_{15}ClF_3N_2O^+$ [M+H$^+$]: 451.0820 (^{35}Cl), 453.0790 (^{37}Cl), Found: 451.0818 (^{35}Cl), 453.0792 (^{37}Cl).

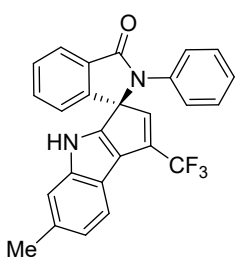


(R)-7-chloro-2'-phenyl-1-(trifluoromethyl)-4H-

spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2u**): white solid, m.p.: 283.0-284.1 °C, 22.3 mg, 50% yield, 91% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major} = 10.817 min., t_{minor} = 6.192 min.). $[\alpha]_D^{20}$ = +77.7 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.31 (s, 1H), 7.97 (d, *J* = 7.3 Hz, 1H), 7.71–7.54 (m, 2H), 7.41 (d, *J* = 9.1 Hz, 2H), 7.32 (d, *J* = 2.6 Hz, 4H), 7.22 (d, *J* = 3.1 Hz, 1H), 7.16 (d, *J* = 8.7 Hz, 1H), 7.04–6.92 (m, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.3, 147.3, 140.7, 139.3, 136.2, 134.4 (q, *J* = 5.9 Hz), 133.4, 132.1, 131.7 (q, *J* = 36.0 Hz), 129.8, 129.0, 127.4, 125.8, 125.6, 124.4, 122.1, 122.0 (q, *J* = 269.7 Hz), 121.3, 120.4, 117.2, 115.4, 114.9, 72.2. HRMS (ESI) Calcd. for $C_{25}H_{15}ClF_3N_2O^+$ [M+H$^+$]: 451.0820 (^{35}Cl), 453.0790 (^{37}Cl), Found: 451.0830 (^{35}Cl), 453.0811 (^{37}Cl).

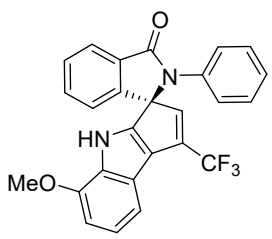


(R)-7-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2v**): white solid, m.p.: 288.4- 289.0 °C, 26.1 mg, 53% yield, 90% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major} = 10.832 min., t_{minor} = 6.283 min.). $[\alpha]_D^{20}$ = +80.7 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.31 (s, 1H), 7.97 (dd, *J* = 6.8, 0.7 Hz, 1H), 7.71–7.56 (m, 2H), 7.54 (d, *J* = 1.3 Hz, 1H), 7.37 (d, *J* = 8.7 Hz, 1H), 7.32 (t, *J* = 4.5 Hz, 4H), 7.29–7.25 (m, 1H), 7.25–7.18 (m, 1H), 7.02–6.98 (m, 1H), 6.97 (q, *J* = 2.2 Hz, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.3, 147.1, 140.6, 139.5, 136.2, 134.5 (q, *J* = 5.7 Hz), 133.4, 132.1, 131.7 (q, *J* = 36.2 Hz), 129.8, 129.1, 127.5, 125.8, 124.7, 124.5, 122.0 (q, *J* = 270.7 Hz), 121.3, 121.1, 120.3, 115.3, 113.5, 72.2. HRMS (ESI) Calcd. for $C_{25}H_{15}BrF_3N_2O^+$ [M+H$^+$]: 495.0314 (^{79}Br), 497.0294 (^{81}Br), Found: 495.0309 (^{79}Br),497.0303 (^{81}Br).



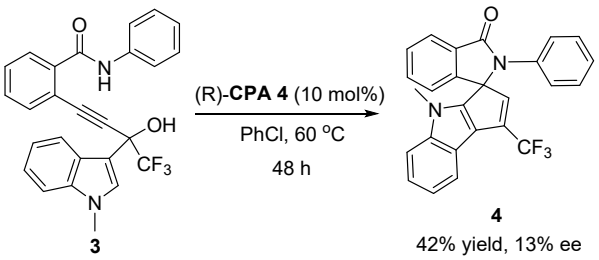
(R)-6-methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2w**): yellow solid, m.p.: 271.9-273.2 °C, 31.1 mg, 72% yield, 86% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0

mL/min., t_{major} = 9.176 min., t_{minor} = 6.718 min.). $[\alpha]_D^{20}$ = +92.1 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.84 (s, 1H), 7.95 (d, *J* = 7.3 Hz, 1H), 7.67–7.53 (m, 2H), 7.37–7.27 (m, 5H), 7.21 (s, 1H), 7.13 (d, *J* = 9.6 Hz, 1H), 6.95 (d, *J* = 7.8 Hz, 2H), 6.85 (s, 1H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.3, 144.7, 141.3, 141.3, 136.4, 133.6 (q, *J* = 5.8 Hz), 133.3, 132.3 (q, *J* = 35.3 Hz), 132.1, 131.6, 129.6, 129.0, 127.3, 125.7, 124.4, 122.5, 122.1 (q, *J* = 270.7 Hz), 121.2, 117.9, 117.7, 115.8, 112.9, 72.2, 21.3. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O^+$ [M+H$^+$]: 431.1366, Found: 431.1366.

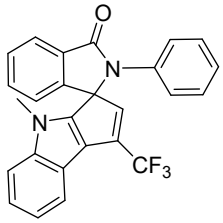


(R)-5-methoxy-2'-phenyl-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindolin]-3'-one (**2x**): white solid, m.p.: 286.1-287.2 °C, 17.8 mg, 40% yield, 93% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major} = 6.947 min., t_{minor} = 5.819 min.). $[\alpha]_D^{20}$ = +130.6 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.07 (s, 1H), 7.94 (d, *J* = 7.4 Hz, 1H), 7.61 (t, *J* = 7.3 Hz, 1H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.37 (d, *J* = 7.9 Hz, 2H), 7.31 (t, *J* = 7.5 Hz, 2H), 7.20 (t, *J* = 7.1 Hz, 1H), 7.03 (d, *J* = 13.4 Hz, 2H), 6.96–6.82 (m, 2H), 6.71 (d, *J* = 5.7 Hz, 1H), 3.84 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 146.7, 145.0, 141.2, 136.6, 134.0 (q, *J* = 5.7 Hz), 133.1, 132.4, 132.2 (q, *J* = 35.7 Hz), 130.8, 129.5, 129.0, 127.2, 125.8, 124.3, 122.2 (q, *J* = 270.7 Hz), 121.5, 121.1, 120.8, 116.4, 110.9, 102.7, 72.1, 55.3. HRMS (ESI) Calcd. for $C_{26}H_{18}F_3N_2O_2^+$ [M+H$^+$]: 447.1315, Found: 447.1320.

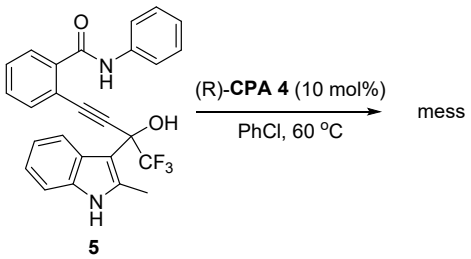
4.Control Experiments



Compound **3**(0.10 mmol) and (*R*)-**CPA4** (10 mol%) were dissolved in PhCl (2.0 mL) and stirred at 60 °C.The reaction progress was monitored by TLC. Upon completion, the mixture was subjected to column chromatography on silica gel (petroleum ether/ EtOAc =5:1) to afford the desired product **4.**

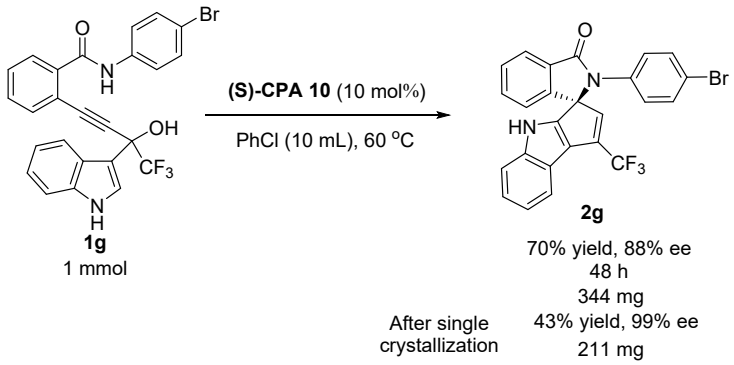


4-Methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (4): yellow solid, m.p.: 179.2-182.1 °C,18.0 mg, 42% yield, 13% ee, HPLC condition: Chiralpak AD-H (isopropyl alcohol/n-hexane: 10/90, 1.0 mL/min., t_{major} = 6.484 min., t_{minor} = 8.280 min.). $[\alpha]_D^{20}$ = +13.1 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.99 (dd, *J* = 6.8, 0.7 Hz, 1H), 7.72–7.58 (m, 2H), 7.47 (dd, *J* = 10.4, 4.4 Hz, 2H), 7.39–7.29 (m, 4H), 7.27–7.14 (m, 3H), 7.04–6.98 (m, 1H), 6.90 (dd, *J* = 4.0, 2.1 Hz, 1H), 3.35 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.1, 144.5, 141.4, 139.5, 136.3, 134.4 (q, *J* = 5.7 Hz), 133.8, 132.0, 131.9 (q, *J* = 35.3 Hz), 130.1, 129.2, 127.5, 125.2, 124.7, 122.4, 122.1 (q, *J* = 270.7 Hz), 121.4, 121.4, 119.3, 118.5, 115.7, 111.5, 72.2, 30.3. HRMS (ESI) Calcd. for $C_{26}H_{17}F_3N_2NaO^+$ [M+Na^+]: 453.1185, Found: 453.1195.

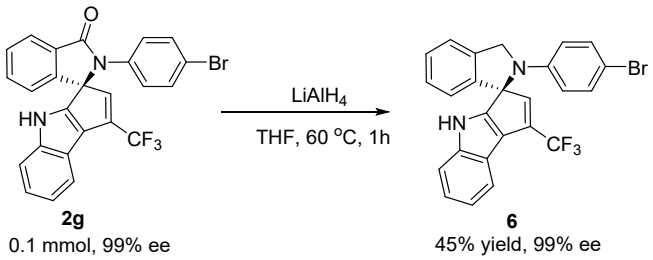


Compound **5** (0.10 mmol) and (*R*)-**CPA4** (10 mol%) were dissolved in PhCl (2.0 mL) and stirred at 60 °C. The reaction progress was monitored by TLC.

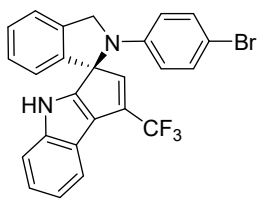
5. Reaction at mmol-scale and product transformation



Compound **1g** (1.0 mmol) and (*S*)-**CPA10** (10 mol%) were dissolved in PhCl (10.0 mL) and stirred at 60 °C. The reaction progress was monitored by TLC. Upon completion, the mixture was subjected to column chromatography on silica gel (petroleum ether/EtOAc =5:1) to afford the desired product **2g** in 70% yield (344 mg, 88% ee). After a single crystallization (EtOH/petroleumether), the desired product **2g** was obtained in 43% yield (211 mg, 99% ee).

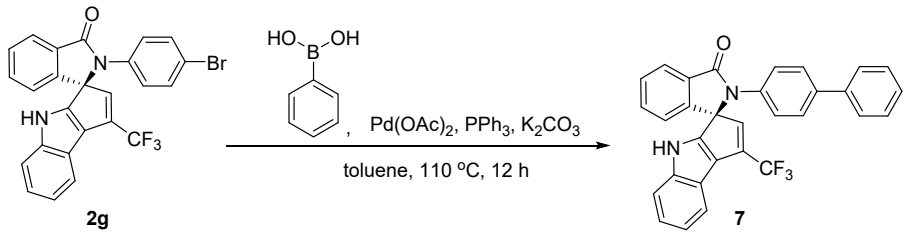


Compound **6** was prepared according to a modified known procedure[4]. $LiAlH_4$ (0.3 mmol) was added to a freshly distilled THF (1.0 mL) solution of **2g** (0.10 mmol) at 0 °C. After 1 hour at 60 °C, reaction mixture was quenched with ice water and extracted with EtOAc. Organic layers were collected, dried over Na_2SO_4, filtered and evaporated under reduced pressure. Column chromatography of the residue on silica gel (petroleum ether/ethyl acetate 10:1) afforded compound **6**.



(R)-2'-(4-bromophenyl)-1-(trifluoromethyl)-4H-spiro [cyclopenta[b]indole-3,1'-isoindoline] (6): white solid, m.p.: 198.4.-199.5 °C, 21.7 mg, 45% yield, 99% ee, HPLC condition:

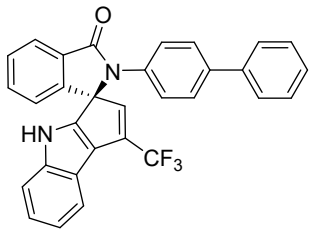
Chiralpak IA (ethyl alcohol/n-hexane: 5/95, 1.0 mL/min., t_{major} = 7.595 min., t_{minor} = 8.642 min.). $[\alpha]_D^{20}$ = +212.3 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.84 (s, 1H), 7.65–7.53 (m, 2H), 7.41–7.29 (m, 2H), 7.28–7.22 (m, 2H), 7.21–7.09 (m, 3H), 6.75 (dd, *J* = 4.0, 2.2 Hz, 1H), 6.51 (d, *J* = 7.7 Hz, 1H), 6.46–6.34 (m, 2H), 4.99 (d, *J* = 13.6 Hz, 1H), 4.92 (d, *J* = 13.6 Hz, 1H).^{13}C NMR (101 MHz, DMSO-d_6) δ 151.1, 144.8, 140.9, 138.6, 137.4, 136.9 (q, *J* = 5.6 Hz), 131.7, 129.8 (q, *J* = 35.7 Hz), 128.5, 127.9, 123.5, 122.5 (q, *J* = 269.7 Hz), 121.9, 120.7, 120.6, 120.0, 118.4, 114.4, 114.0, 113.0, 108.7, 74.7, 54.5. HRMS(ESI) Calcd. for $C_{25}H_{17}BrF_3N_2^+$ [M+H$^+$]: 481.0522 (^{79}Br), 483.0501 (^{81}Br),Found: 481.0525 (^{79}Br), 483.0517 (^{81}Br).



0.1 mmol, 99% ee

93% yield, 99% ee

A Schlenk tube was charged with a mixture of **2g** (0.10 mmol), phenylboronic acid (0.2 mmol), $Pd(OAc)_2$ (0.005 mmol), PPh_3 (0.011 mmol) and K_2CO_3 (0.3 mmol) in toluene (1 mL). The reaction mixture was stirred at 110 °C under an argon atmosphere. The reaction progress was monitored by TLC. Upon completion, the mixture was subjected to column chromatography on silica gel (petroleum ether/ EtOAc =2:1) to afford the desired product **7**[5].



(R)-2'-([1,1'-biphenyl]-4-yl)-1-(trifluoro-methyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (7): white solid, m.p.: 292.3-293.3 °C, 46.0 mg, 93% yield, 99% ee, HPLC condition: Chiralpak IA (isopropyl alcohol/n-hexane: 15/85, 1.0 mL/min., t_{major} = 22.041 min., t_{minor} = 11.296 min). $[\alpha]_D^{20}$ = +167.3 (c 1.0, ethyl acetate). ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.06 (s, 1H), 7.98 (d, *J* = 7.4 Hz, 1H), 7.71–7.54 (m, 6H), 7.50 (d, *J* = 5.9 Hz, 1H), 7.45 (d, *J* = 8.3 Hz, 2H), 7.43–7.35 (m, 3H), 7.32 (t, *J* = 7.2 Hz, 1H), 7.15 (d, *J* = 6.3 Hz, 2H), 6.96 (d, *J* = 6.6 Hz,

2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 167.4, 145.6, 141.1, 140.9, 139.1, 138.7, 135.9, 133.9 (q, J = 5.5 Hz), 133.4, 132.2 (q, J = 35.3 Hz), 132.0, 129.7, 128.9, 127.6, 127.2, 126.6, 125.5, 124.4, 122.2, 122.1 (q, J = 269.7 Hz), 121.2, 120.9, 119.7, 118.3, 115.9, 113.2, 72.1. HRMS (ESI) Calcd. for $C_{31}H_{20}F_3N_2O^+$ [M+H$^+$]: 493.1522, Found: 493.1525.

References

[1] M. Huang, S. Shi, M. Li, Y. Liu and M. Zeng, *Org. Lett*., 2021, **23**, 7094-7099.

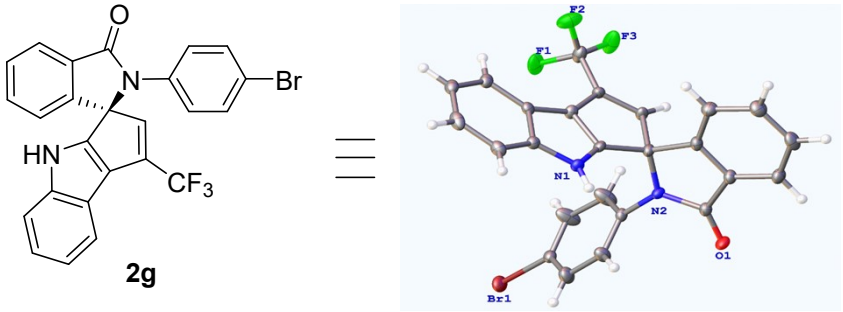
[2] S. Liu, Y. Tanabe, S. Kuriyama, K. Sakata and Y. Nishibayashi, *Angew. Chem. Int. Ed.* 2021, **60**, 11231.

[3] T. Sakamoto, T. Nagano, Y. Kondo and H. Yamanaka, *Chem. Pharm. Bull.* 1988, **36**, 2248-2252.

[4] T. Nikola, D. Marina, C. Ana and G. Matija, *J. Org. Chem*. 2022, **87**, 3712-3717.

[5] N. Miyaura and A. Suzuki, *Chem. Rev*.1995, **95**, 2457–2483.

6. X-ray crystal structure of 2g



2g

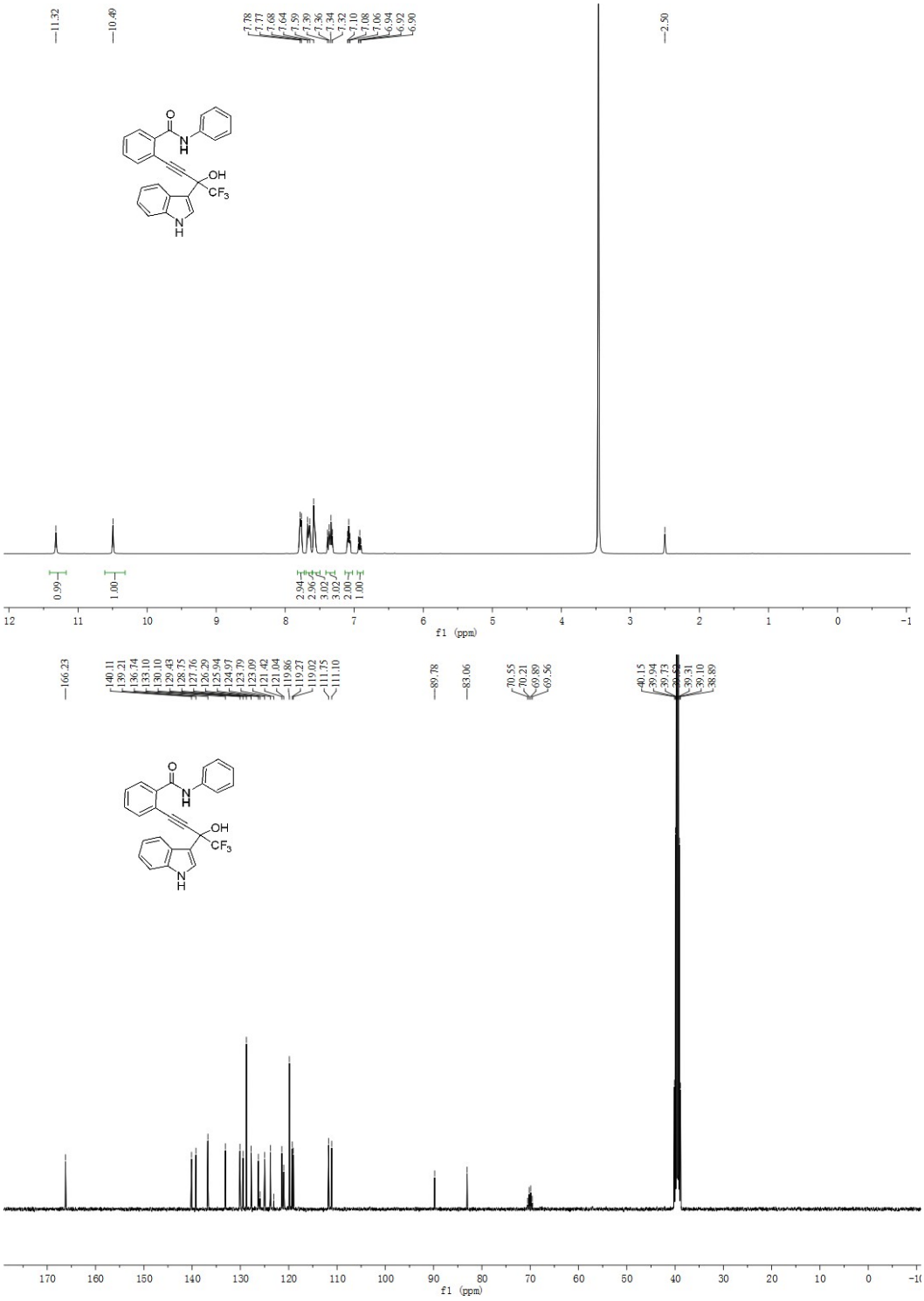
Table S1Crystal data and structure refinement for 2g.

Identification code	2g
Empirical formula	$C_{25}H_{14}BrF_3N_2O$
Formula weight	495.29
Temperature/K	211(50)
Crystal system	monoclinic
Space group	$P2_1$
a/Å	9.6192(6)
b/Å	11.1853(7)
c/Å	9.6445(8)
α/°	90
β/°	94.316(6)
γ/°	90
Volume/Å^3	1034.75(12)
Z	2
ρ_{calc}g/cm^3	1.590
μ/mm^{-1}	3.131
F(000)	496.0
Crystal size/mm^3	0.16 × 0.11 × 0.09
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	9.196 to 147.462

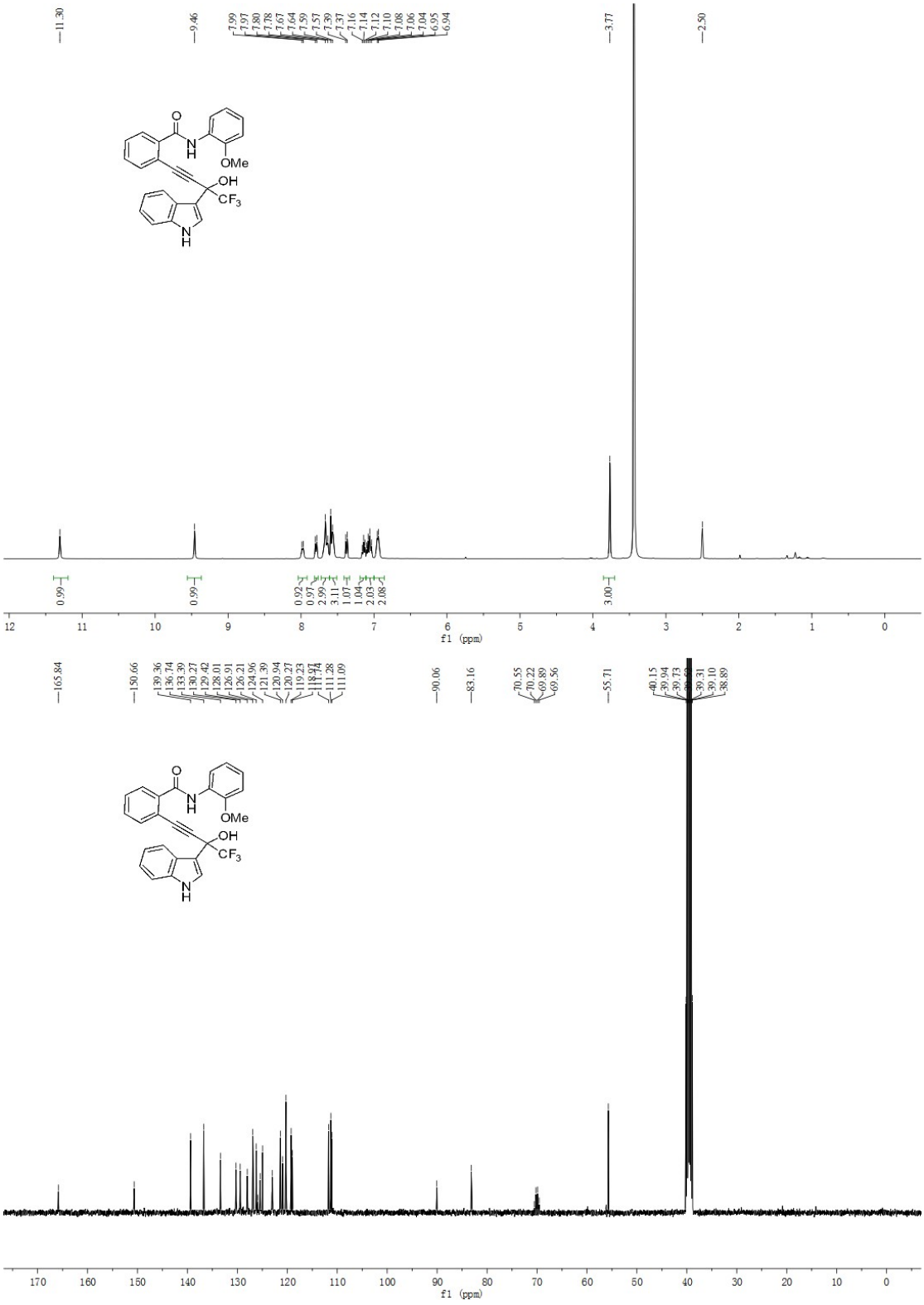
Index ranges	$-11 \leq h \leq 10$, $-11 \leq k \leq 13$, $-11 \leq l \leq 11$
Reflections collected	5851
Independent reflections	3131 [$R_{int} = 0.0425$, $R_{sigma} = 0.0348$]
Data/restraints/parameters	3131/1/290
Goodness-of-fit on F^2	1.080
Final R indexes [I>=2σ (I)]	$R_1 = 0.0507$, $wR_2 = 0.1451$
Final R indexes [all data]	$R_1 = 0.0537$, $wR_2 = 0.1517$
Largest diff. peak/hole / e $Å^{-3}$	0.73/-1.50
Flack/Hooft parameter	0.12(3)/0.169(10)

7. ^{1}H NMR and ^{13}C NMR spectra

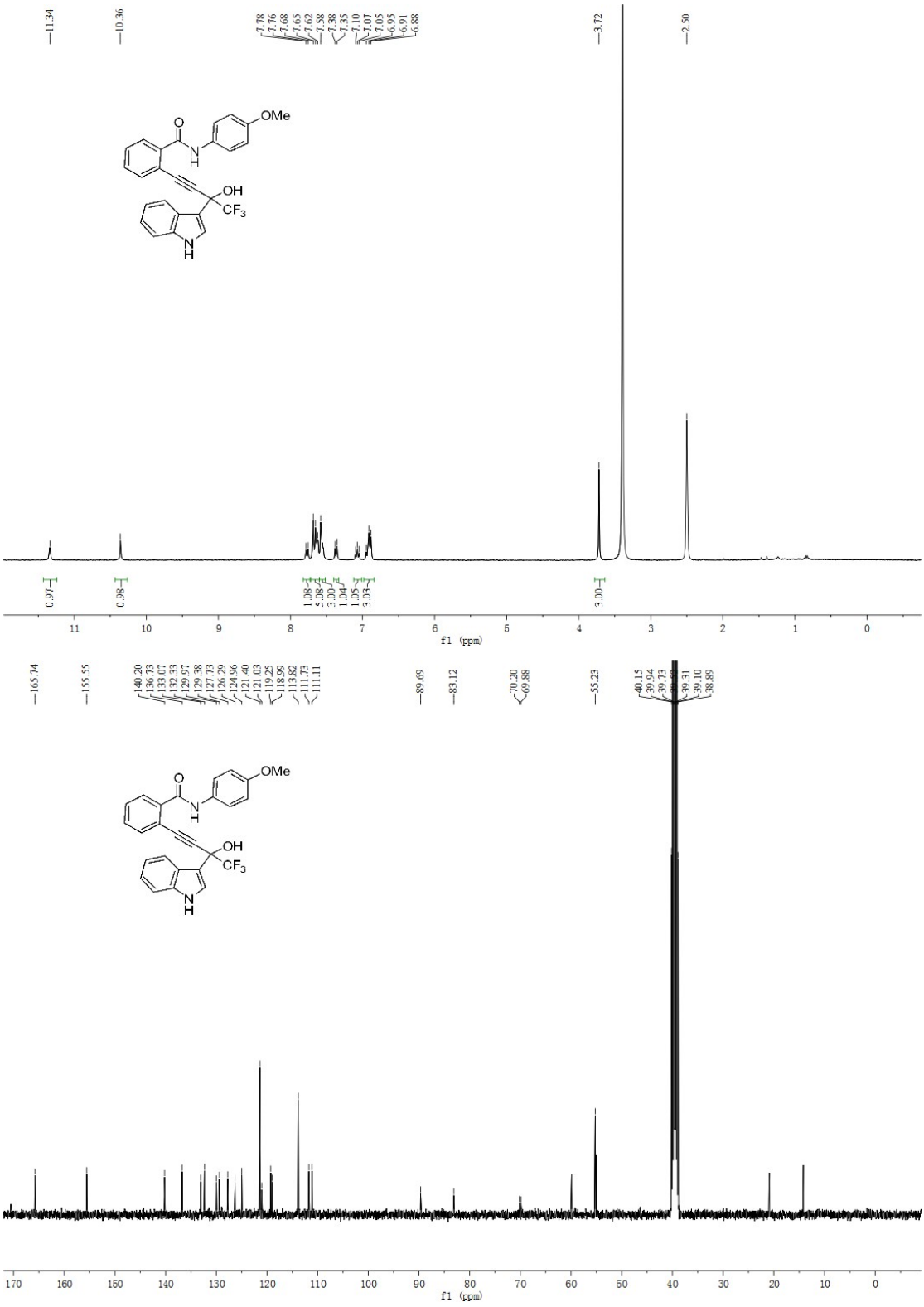
N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1a).



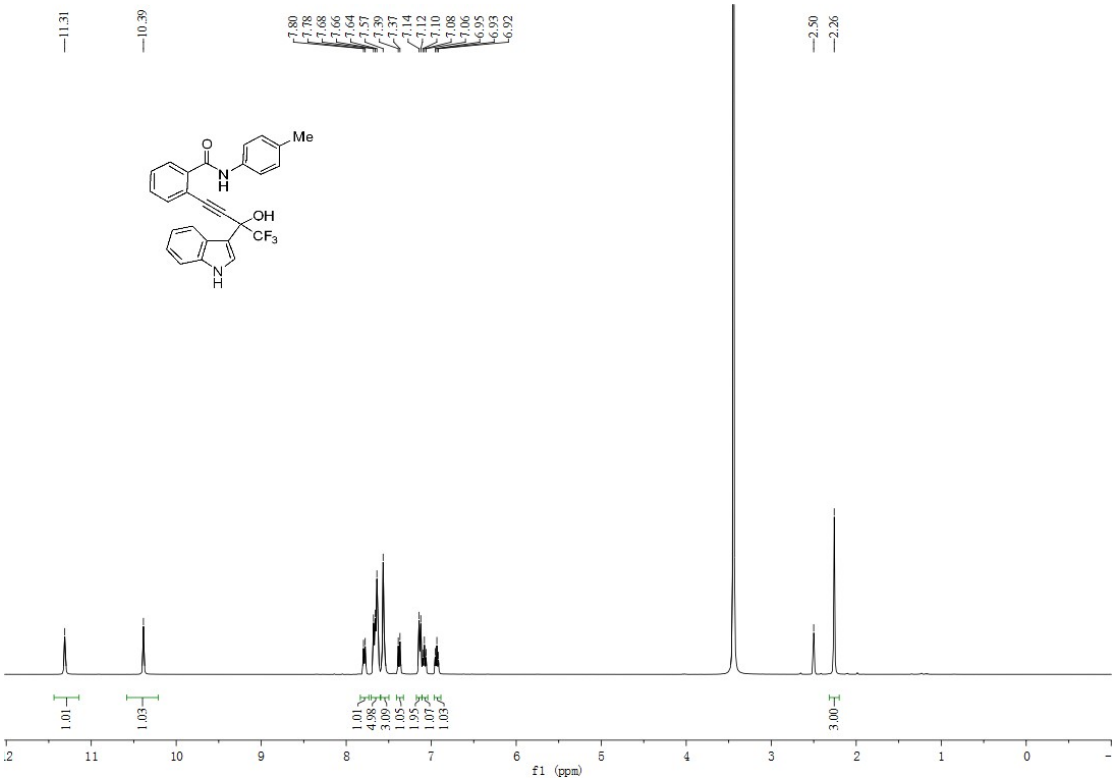
N-(2-methoxyphenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamide (1b)

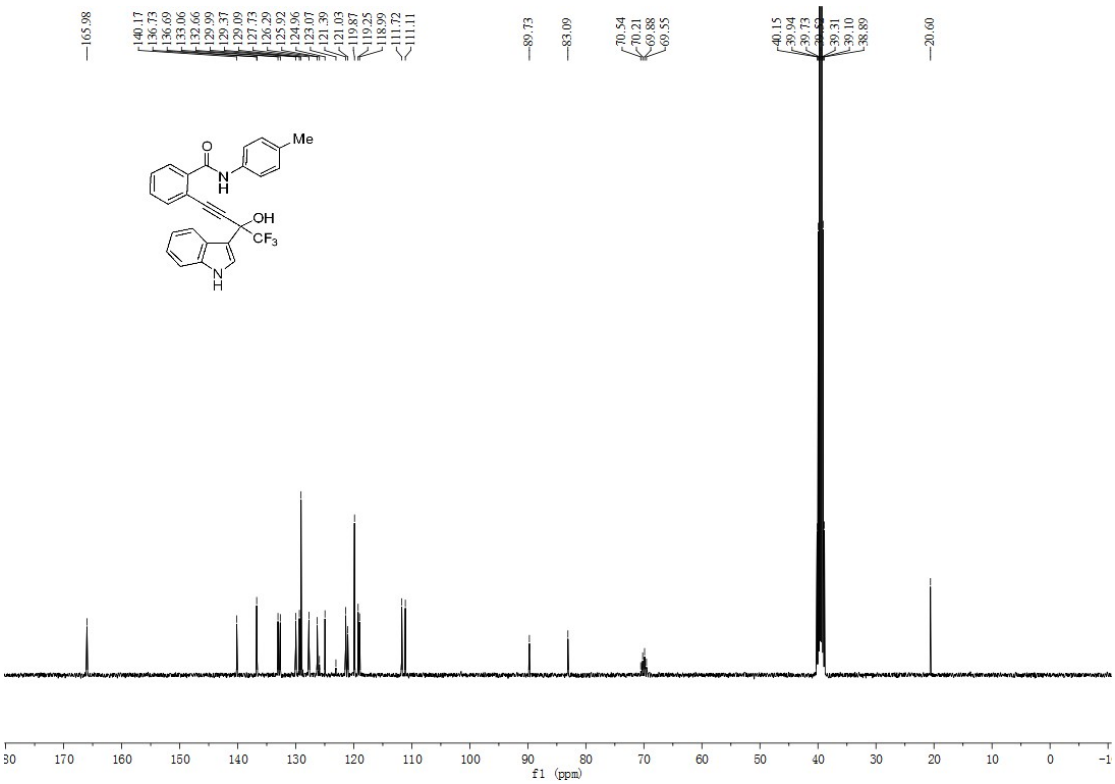


N-(4-methoxyphenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamide (1c)

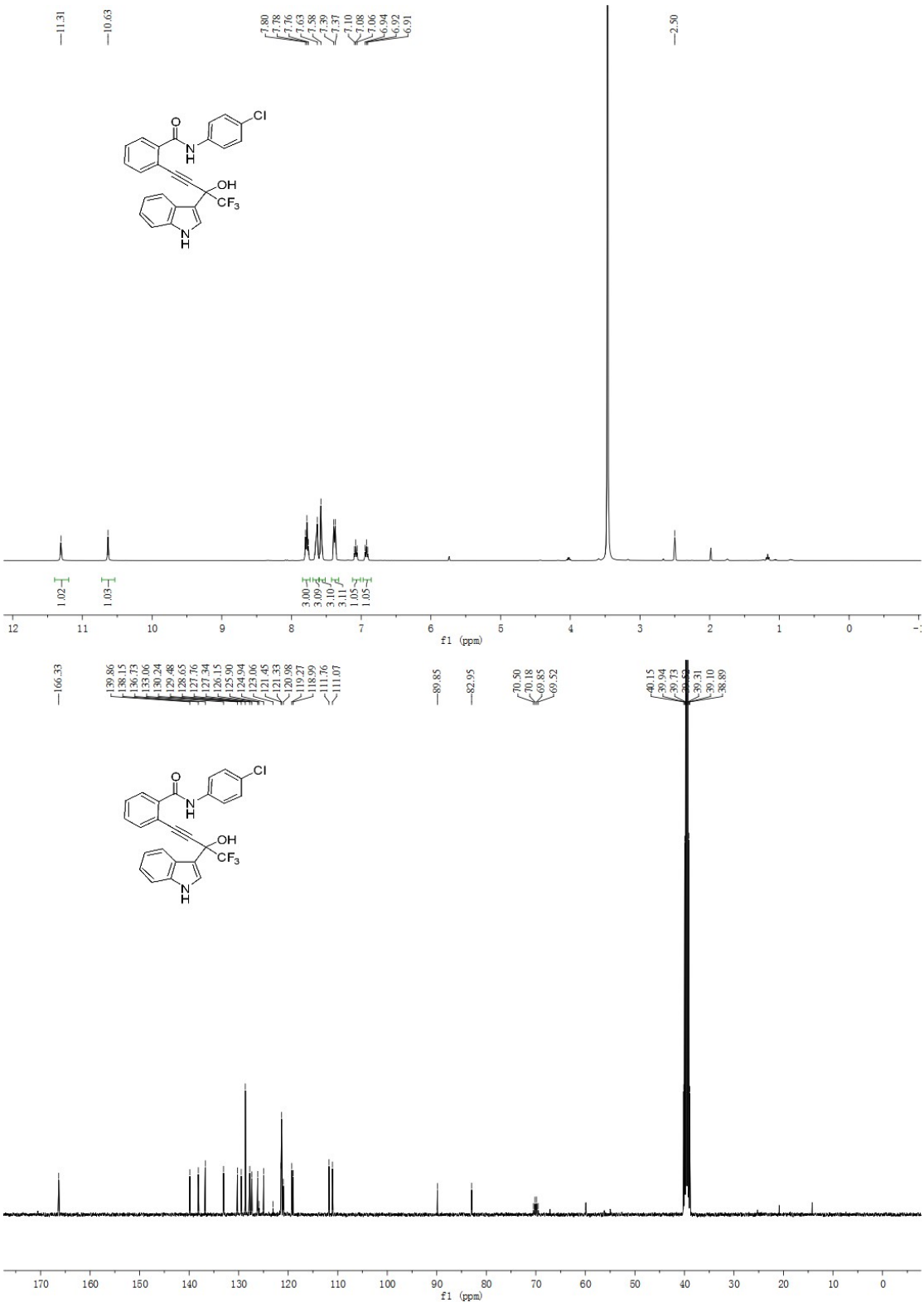


N-(p-tolyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide 1d)

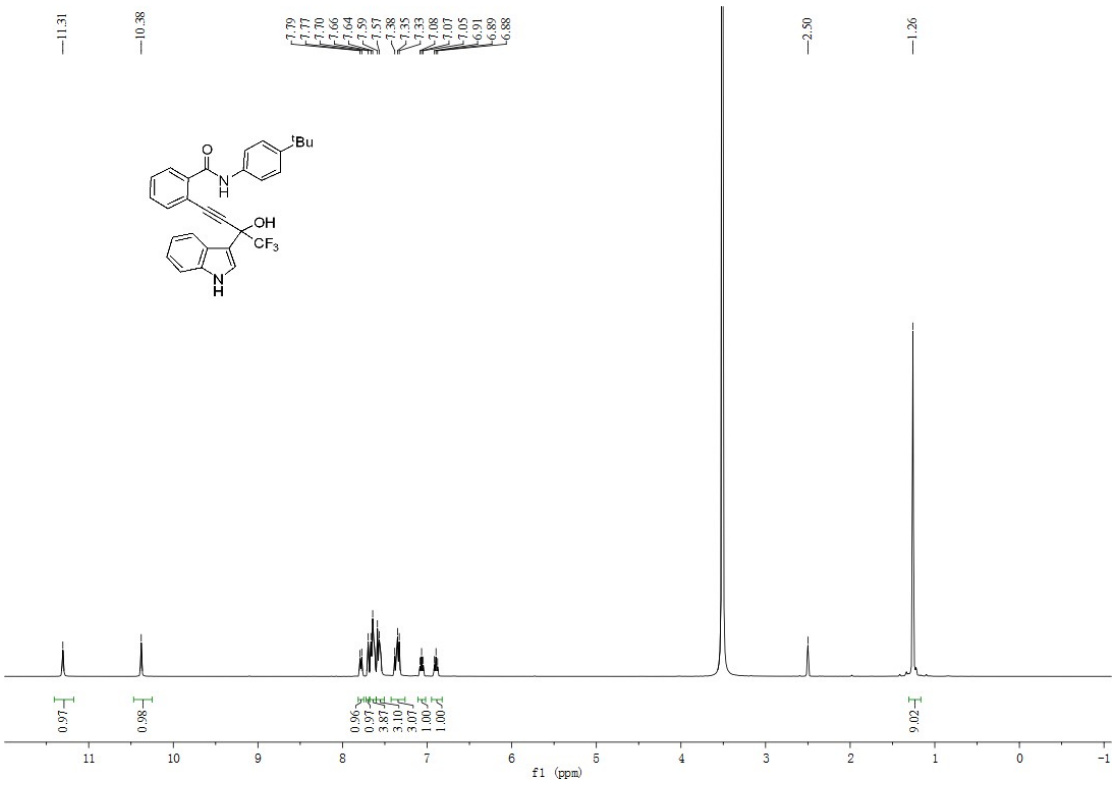


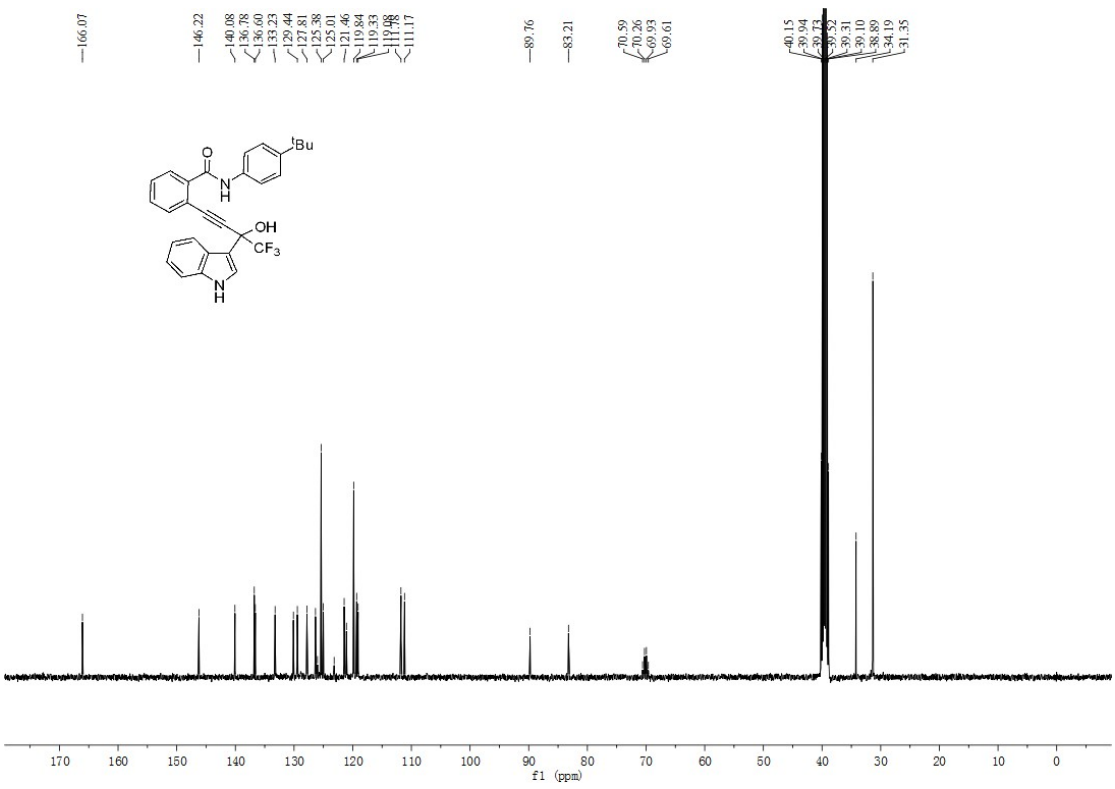


N-(4-chlorophenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1e)

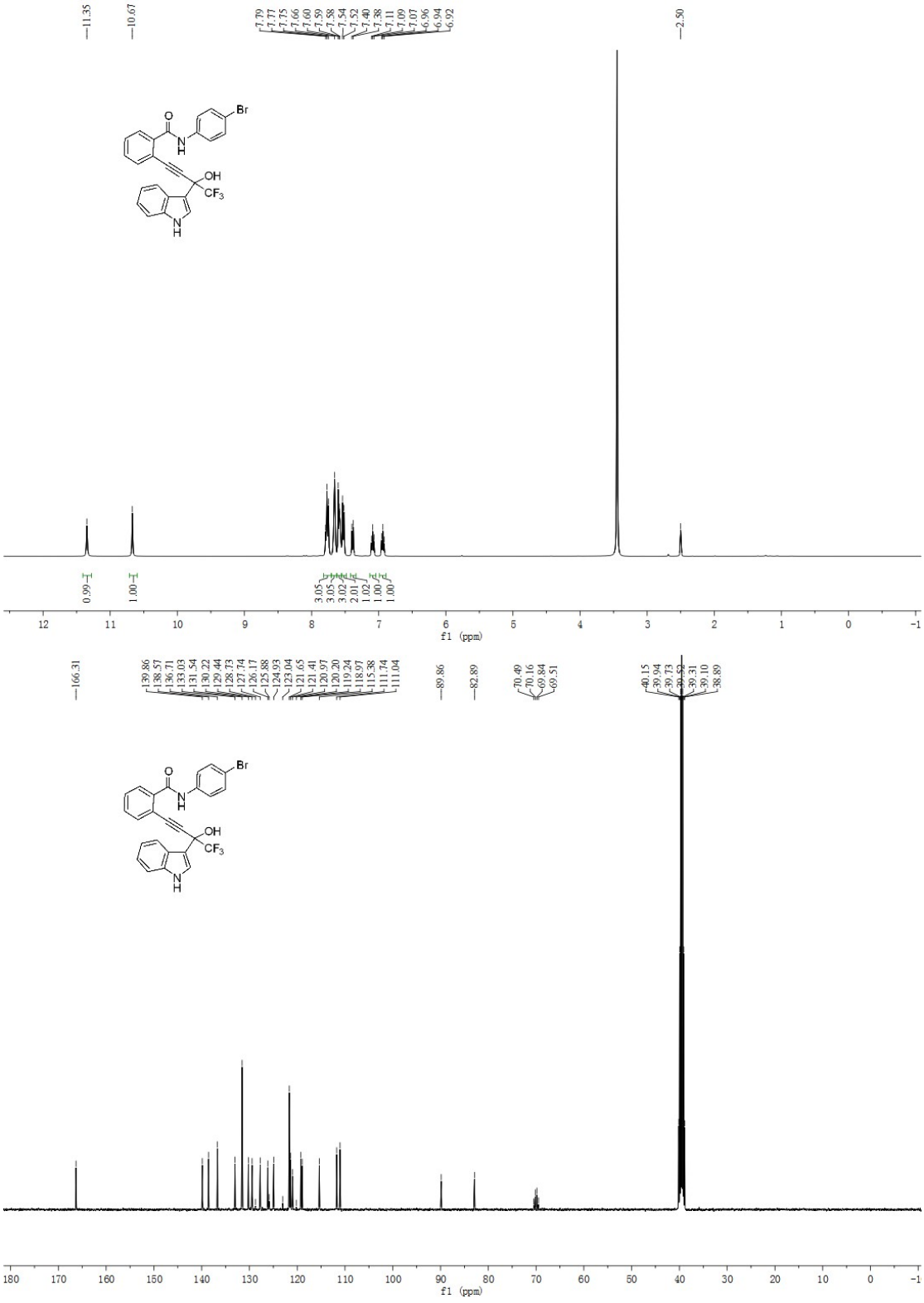


N-(4-(tert-butyl)phenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1f)

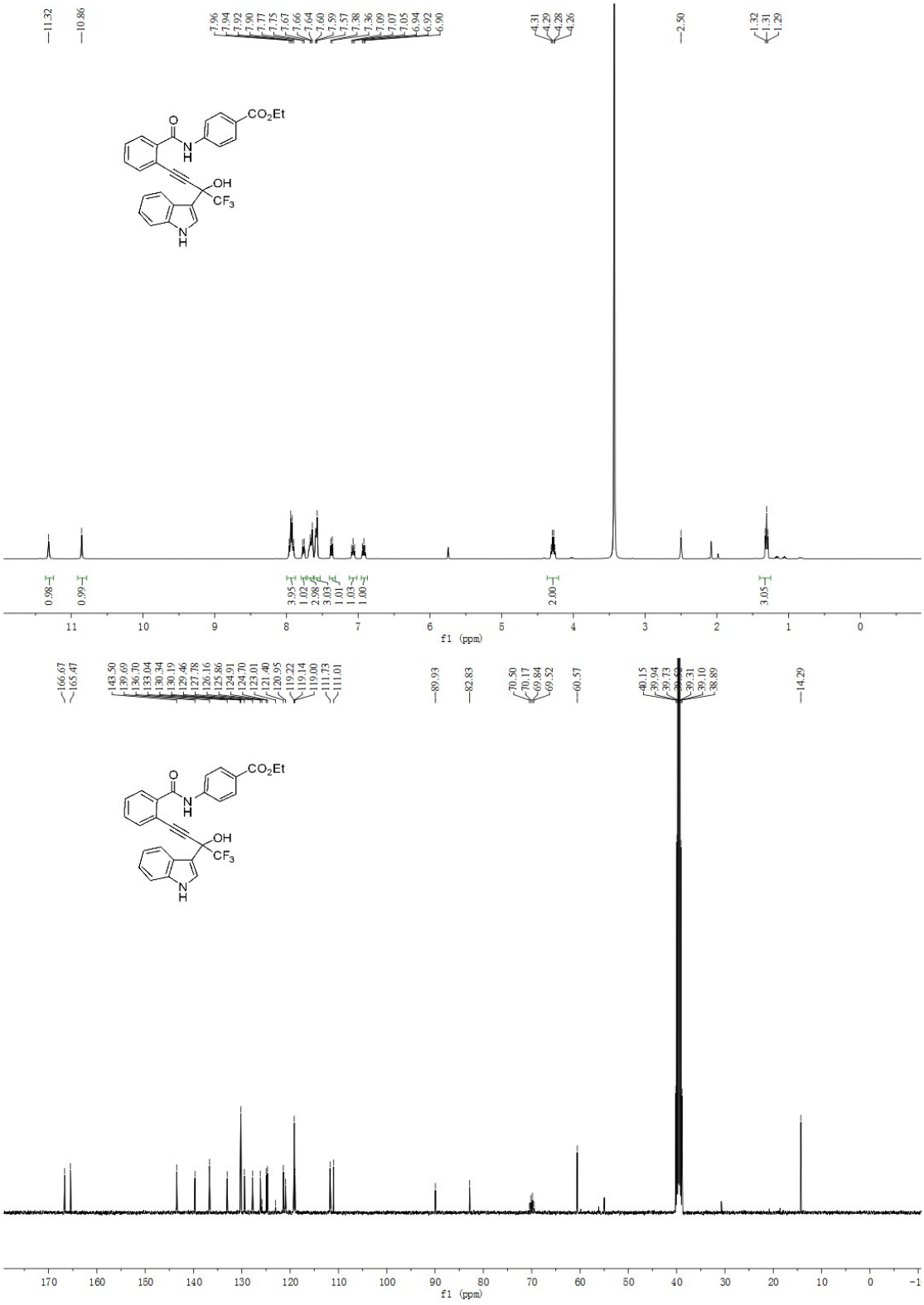




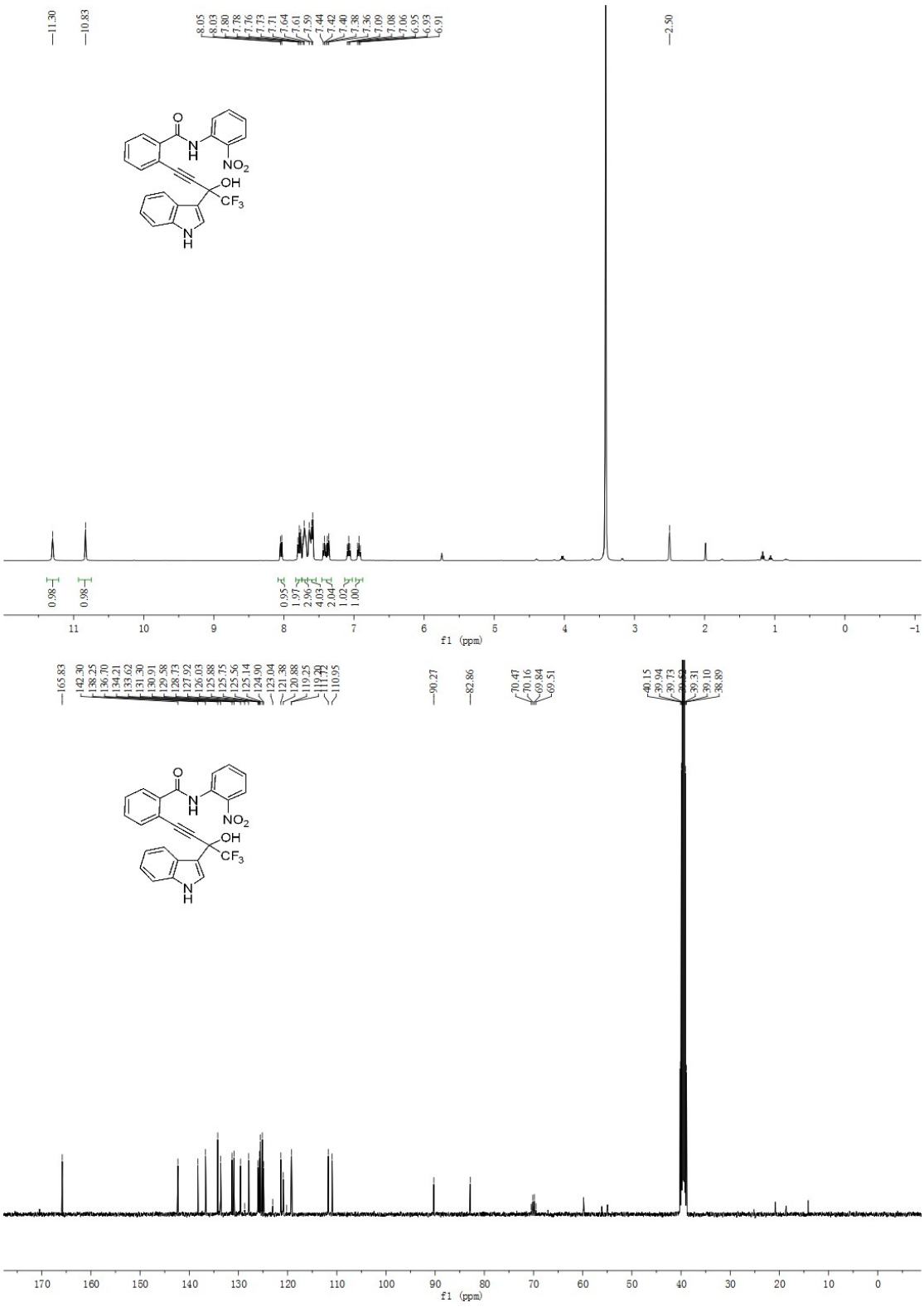
N-(4-bromophenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1g)



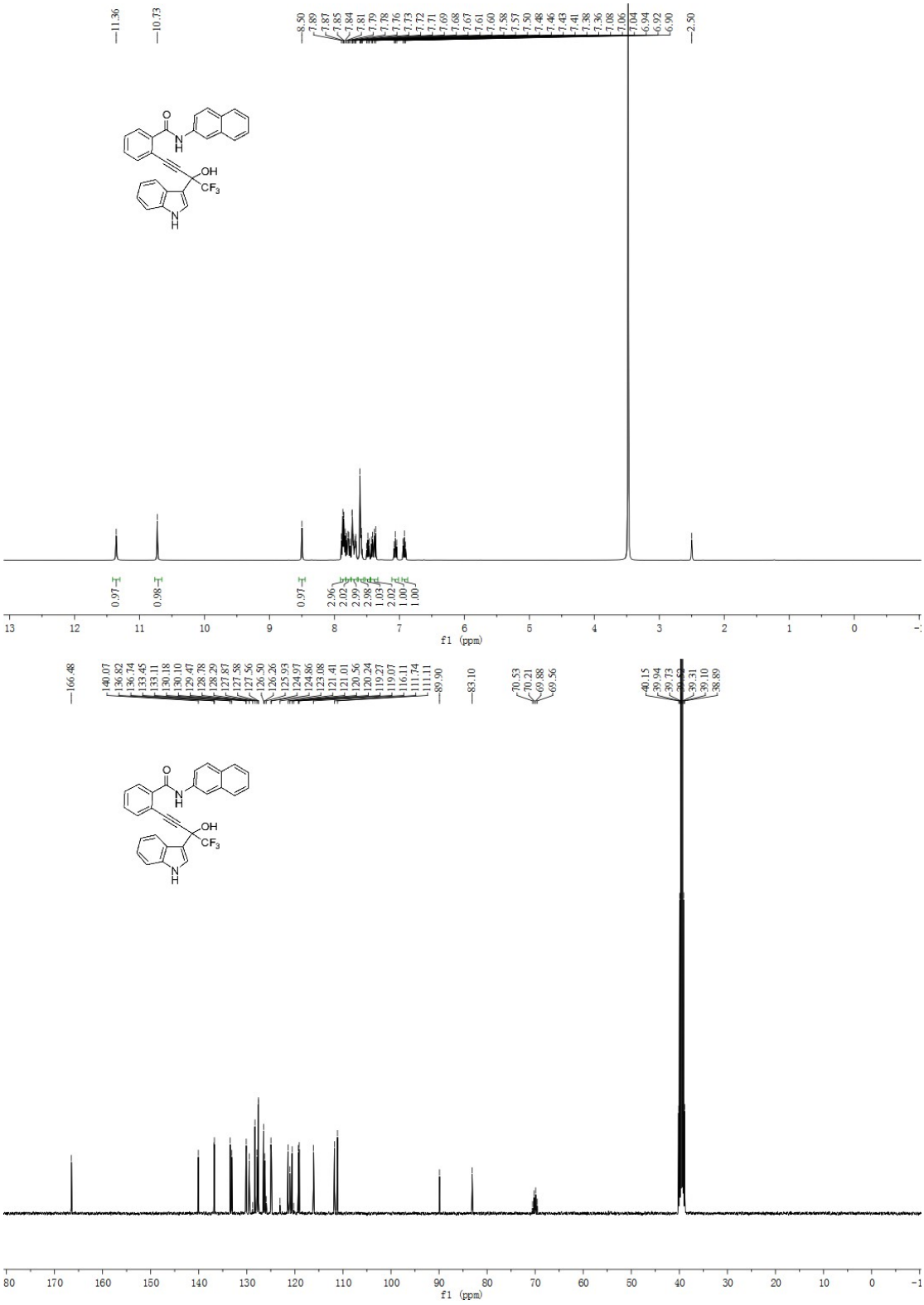
Ethyl 4-(2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl) benzamido)benzoate (1h)



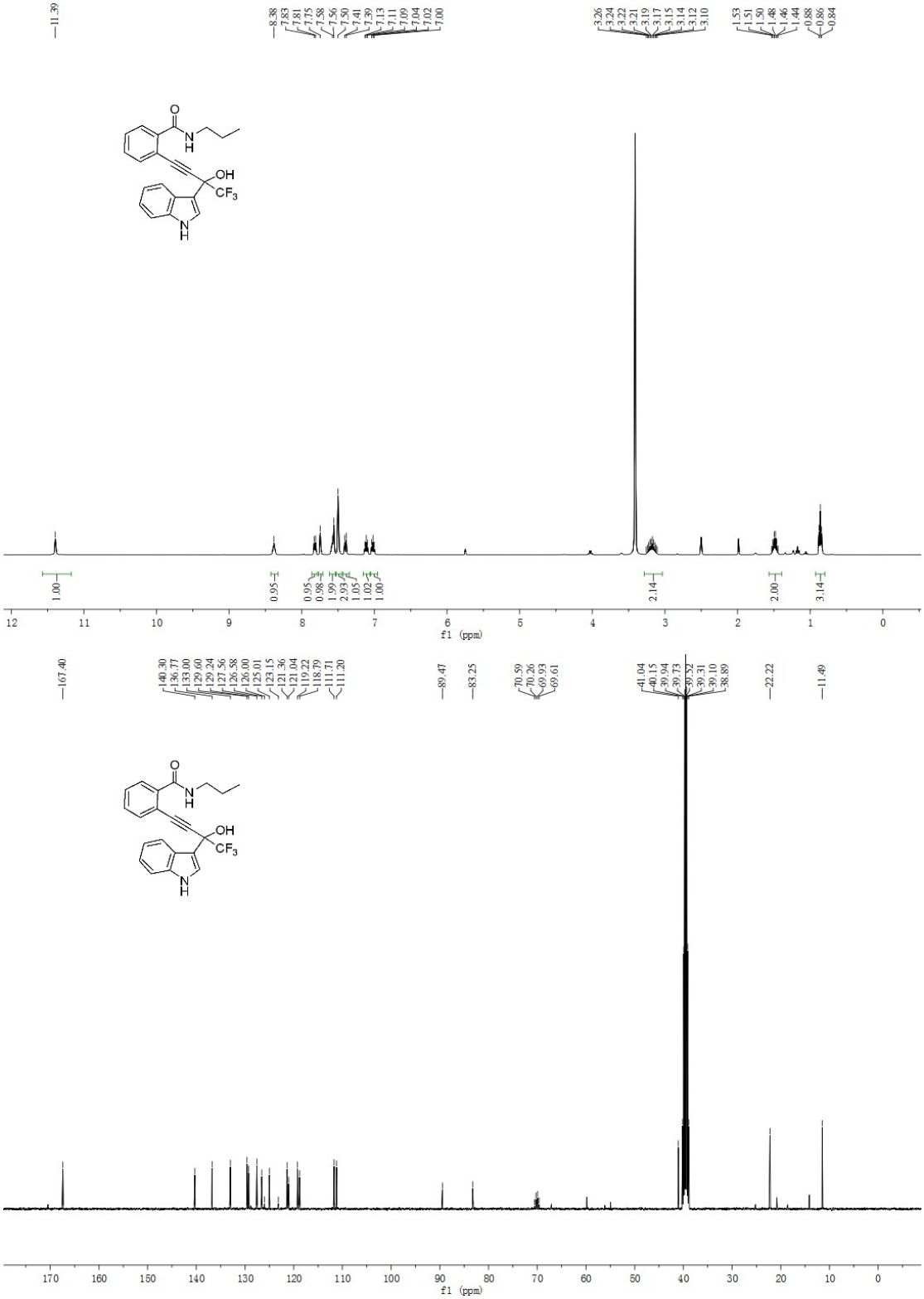
N-(2-nitrophenyl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1i)



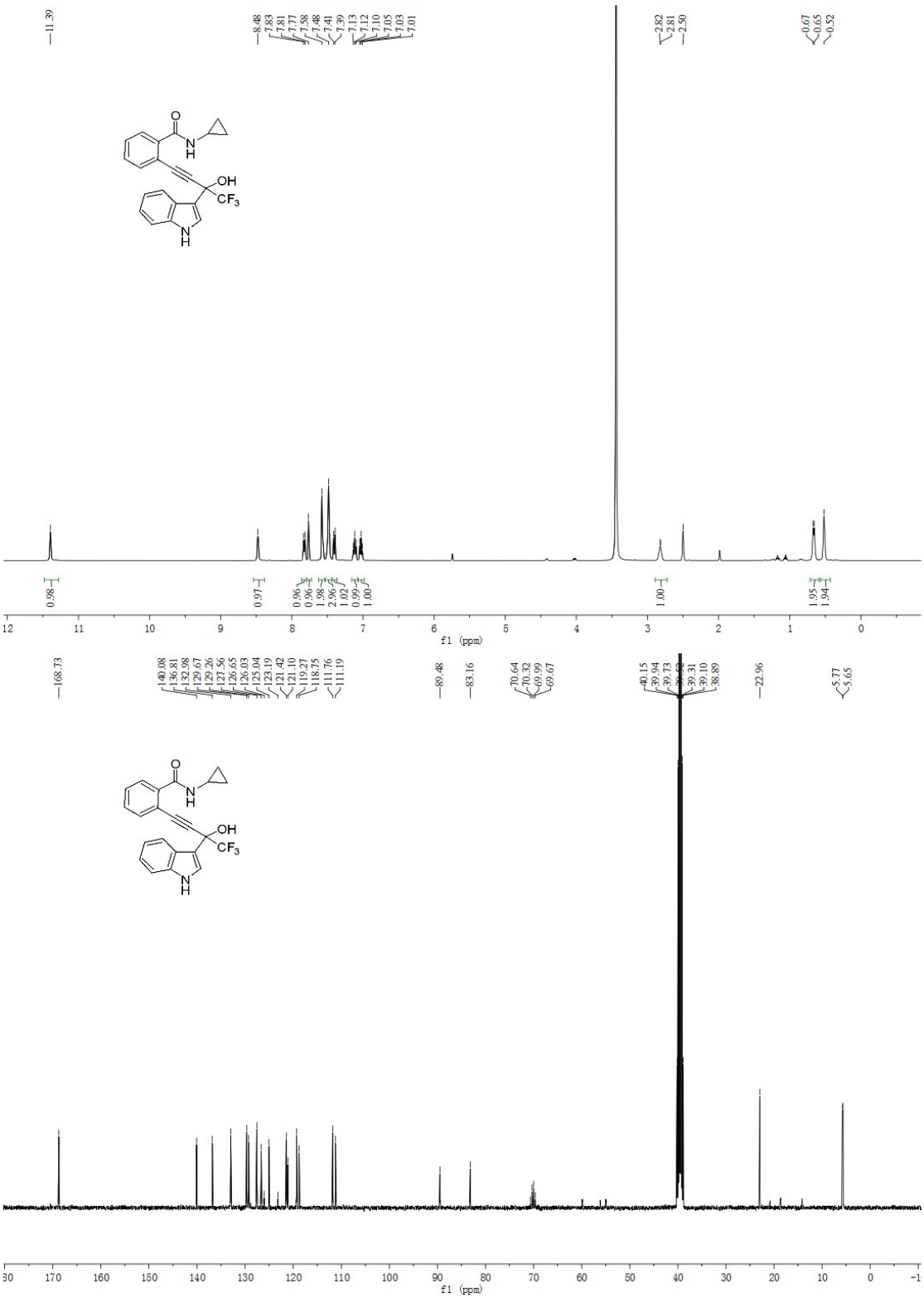
N-(naphthalen-2-yl)-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamide (1j)



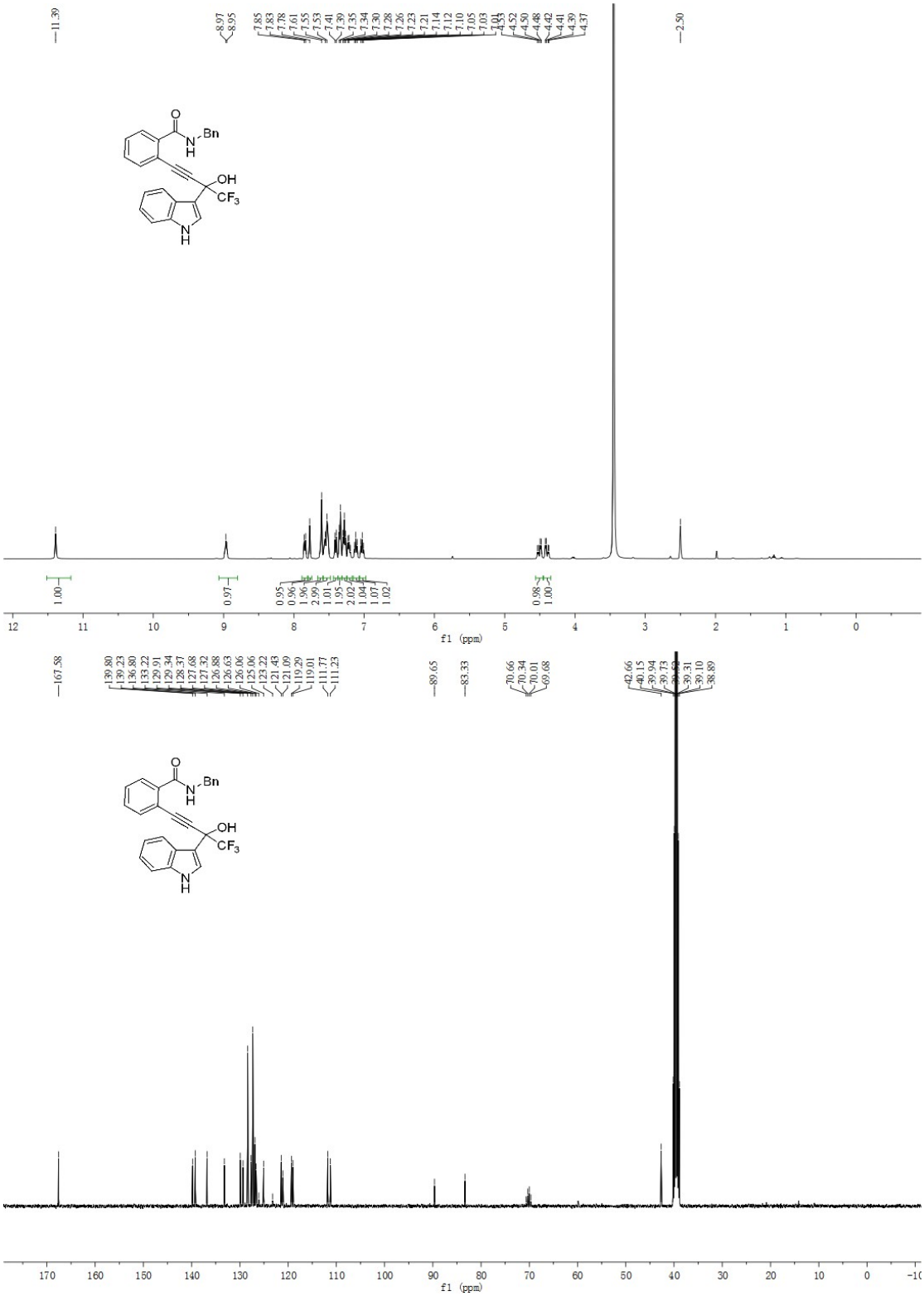
N-propyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1k)



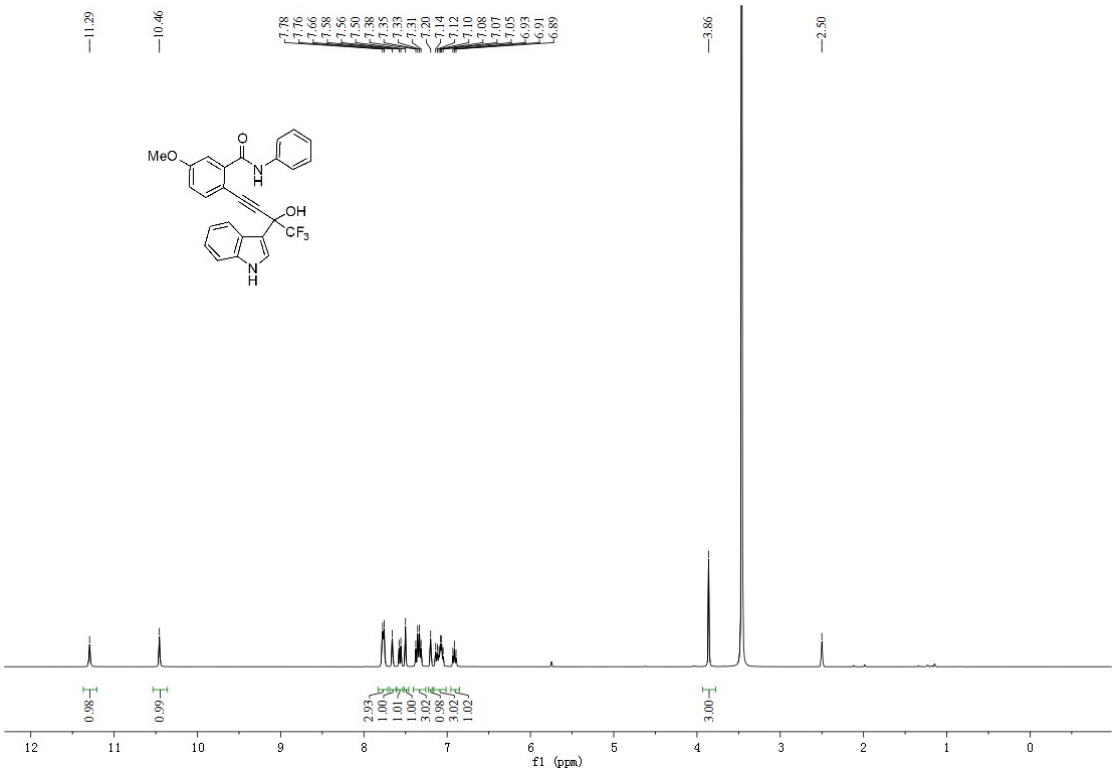
N-cyclopropyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1l)

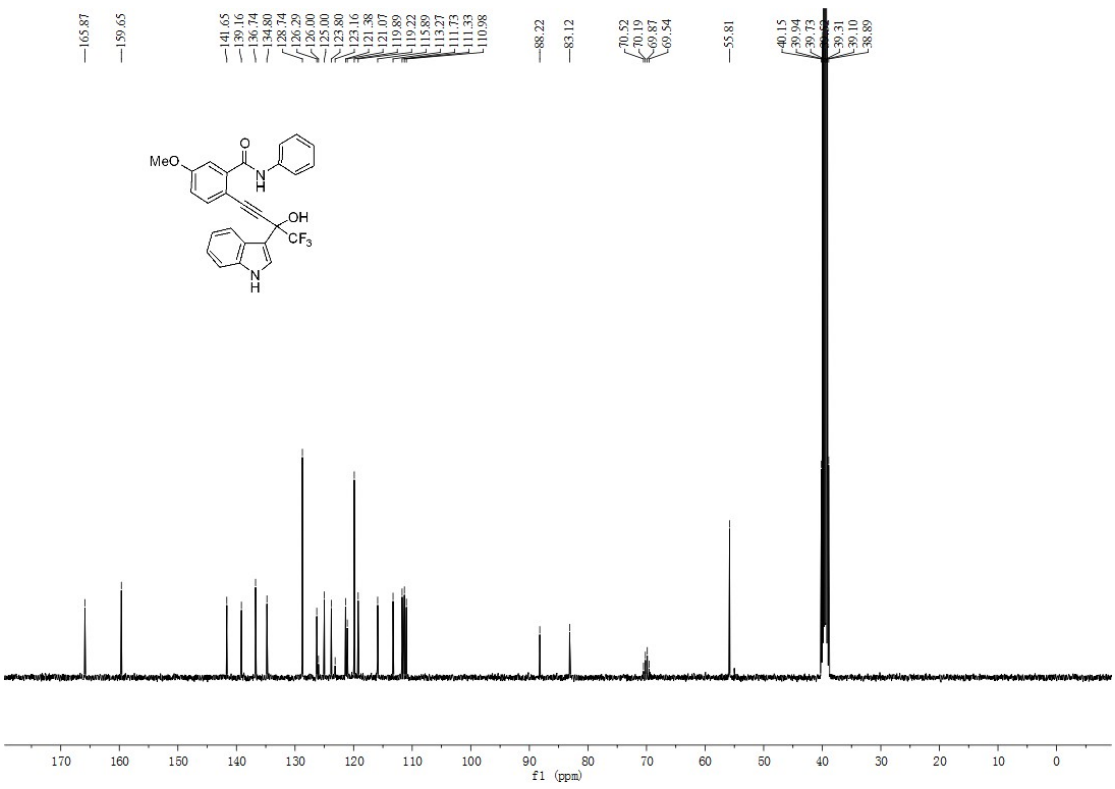


N-benzyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1m)

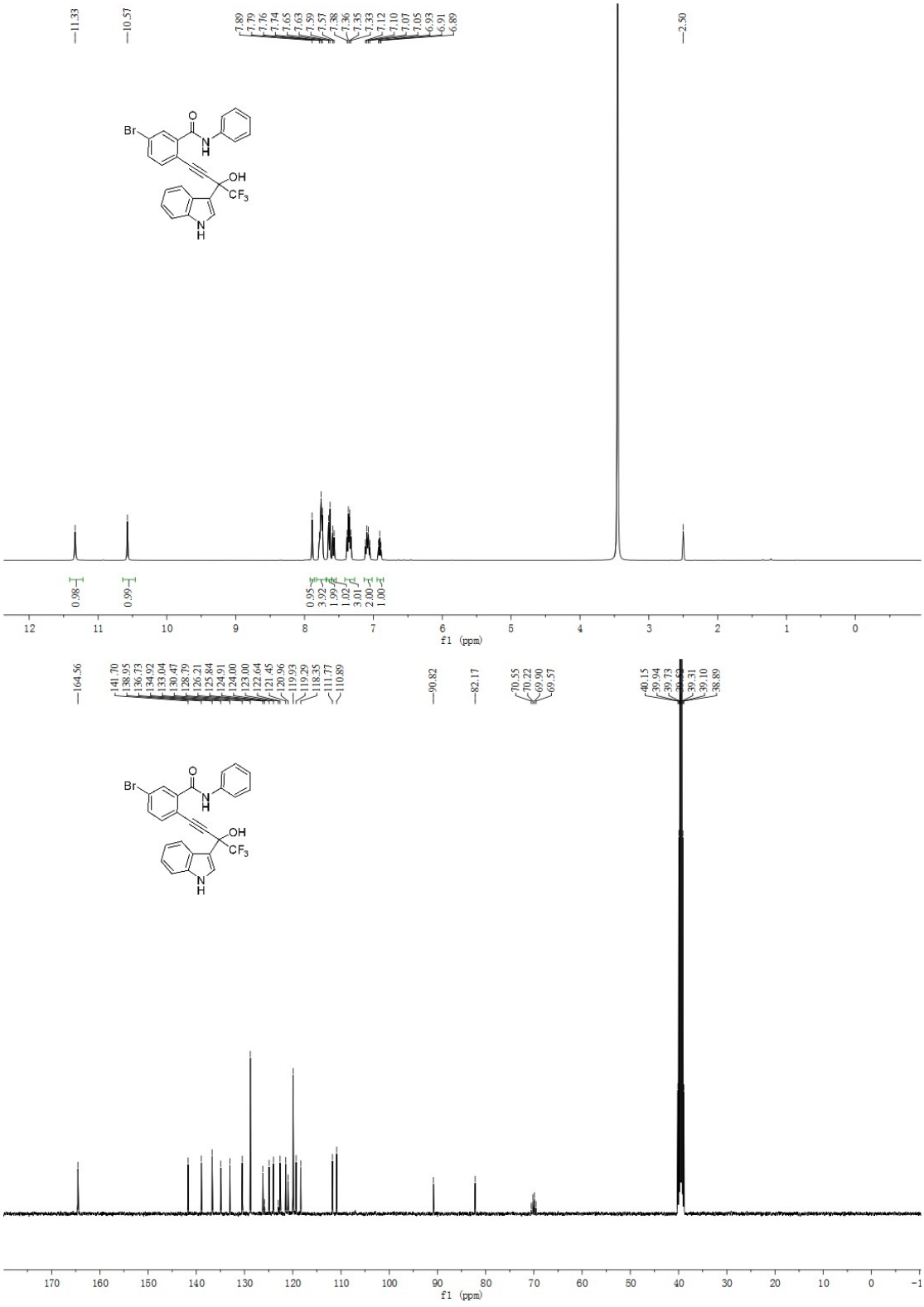


5-Methoxy-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl) but-1-yn-1-yl)benzamide (1n)

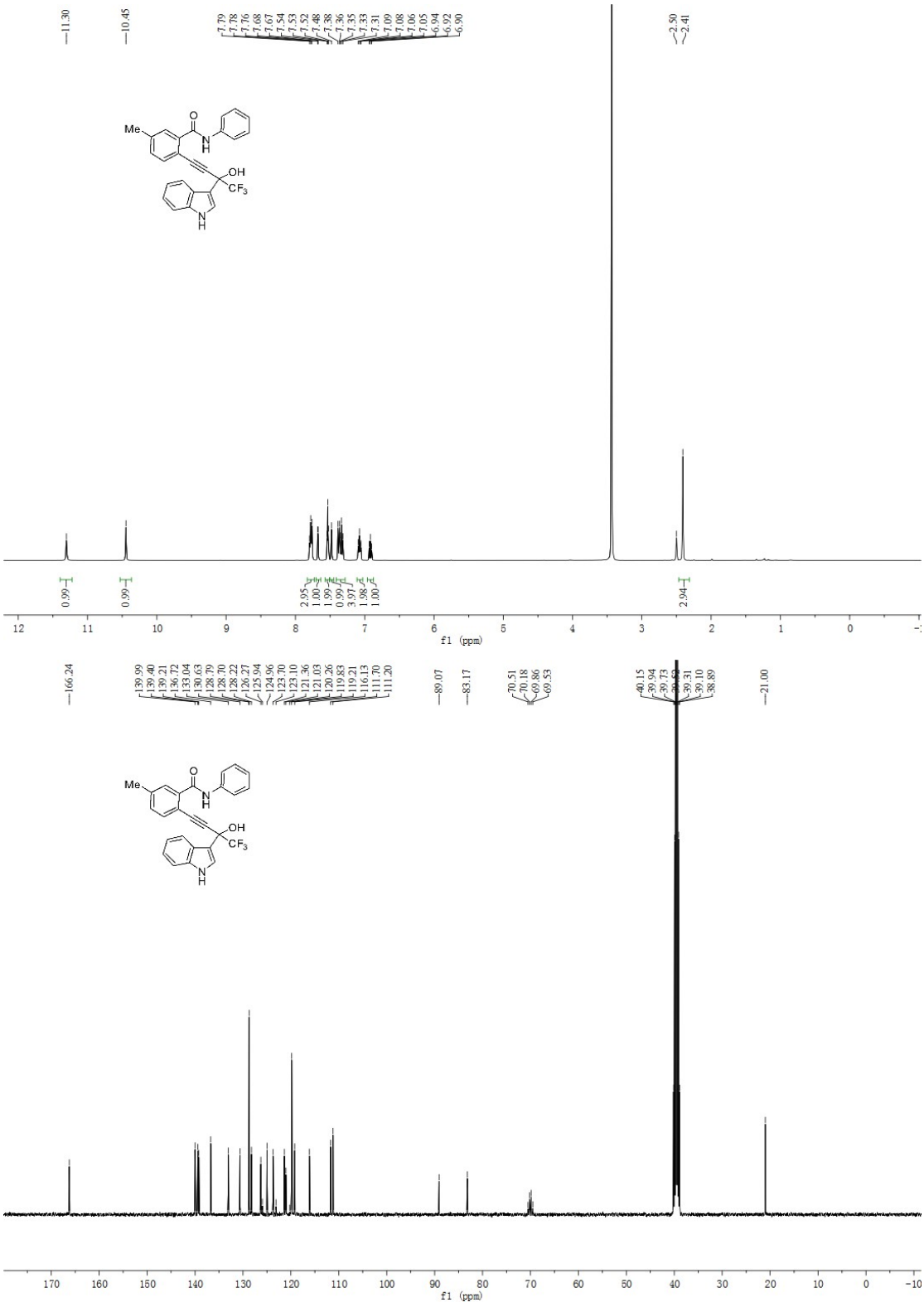




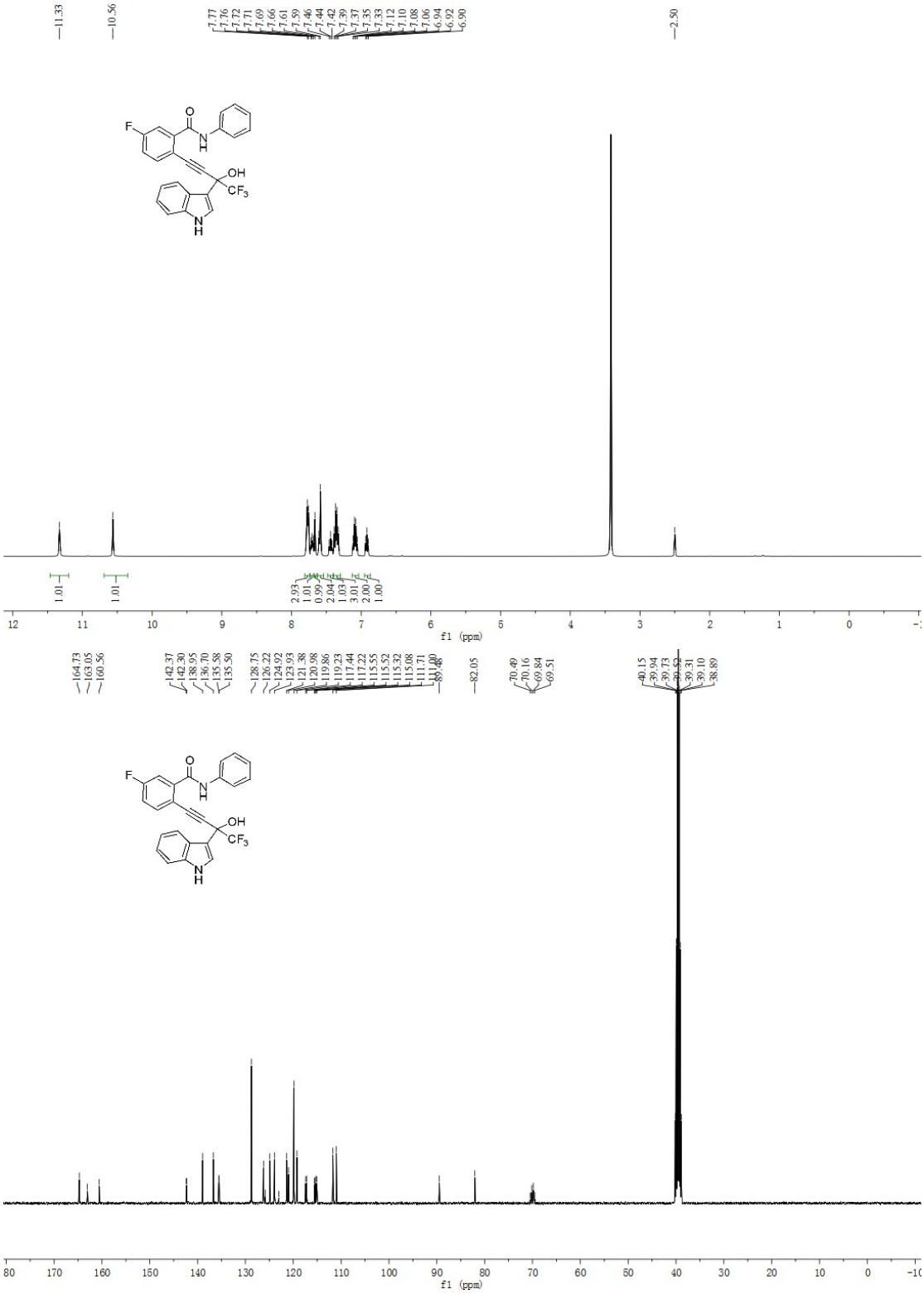
5-Bromo-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1o)



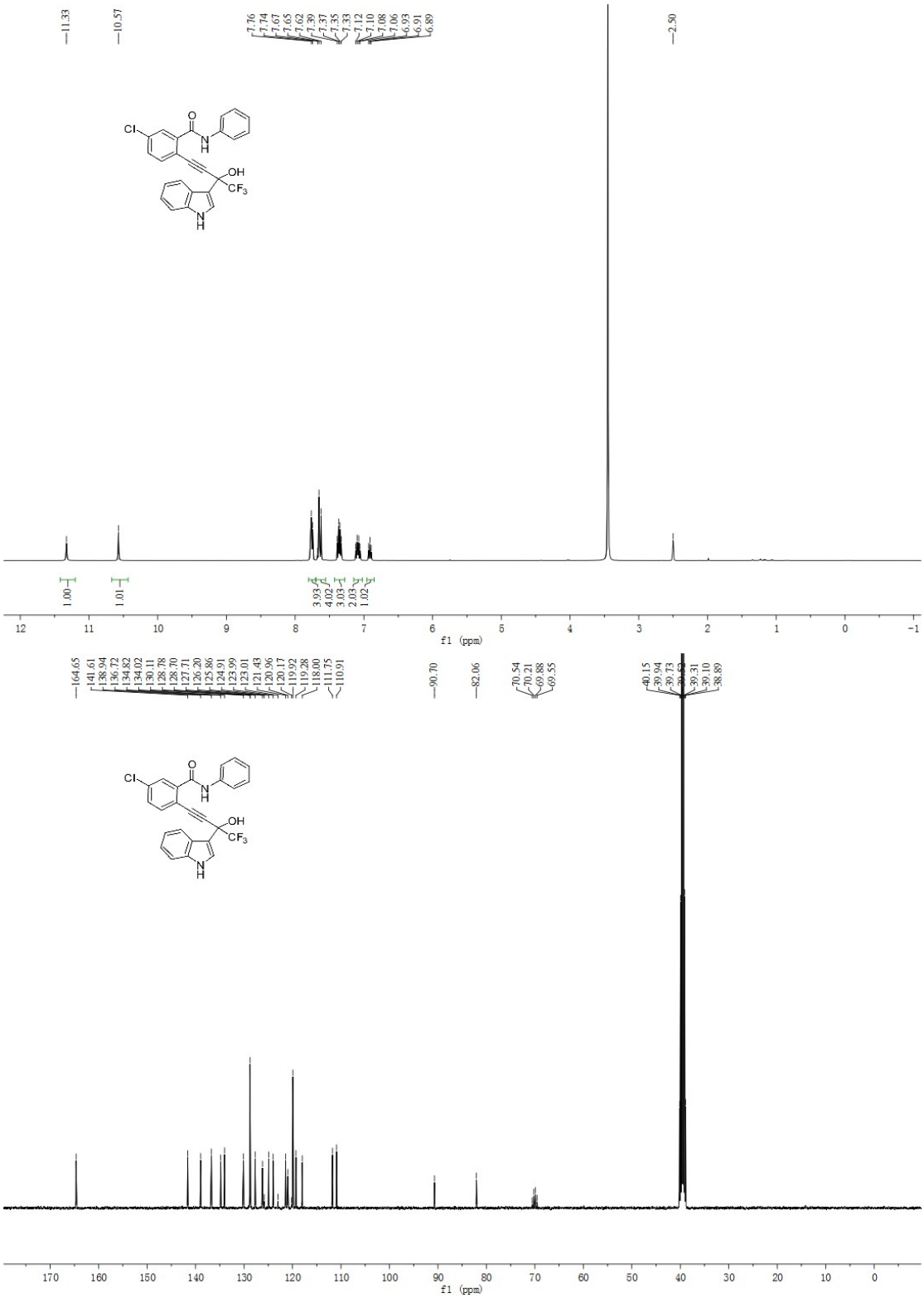
5-Methyl-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1p)



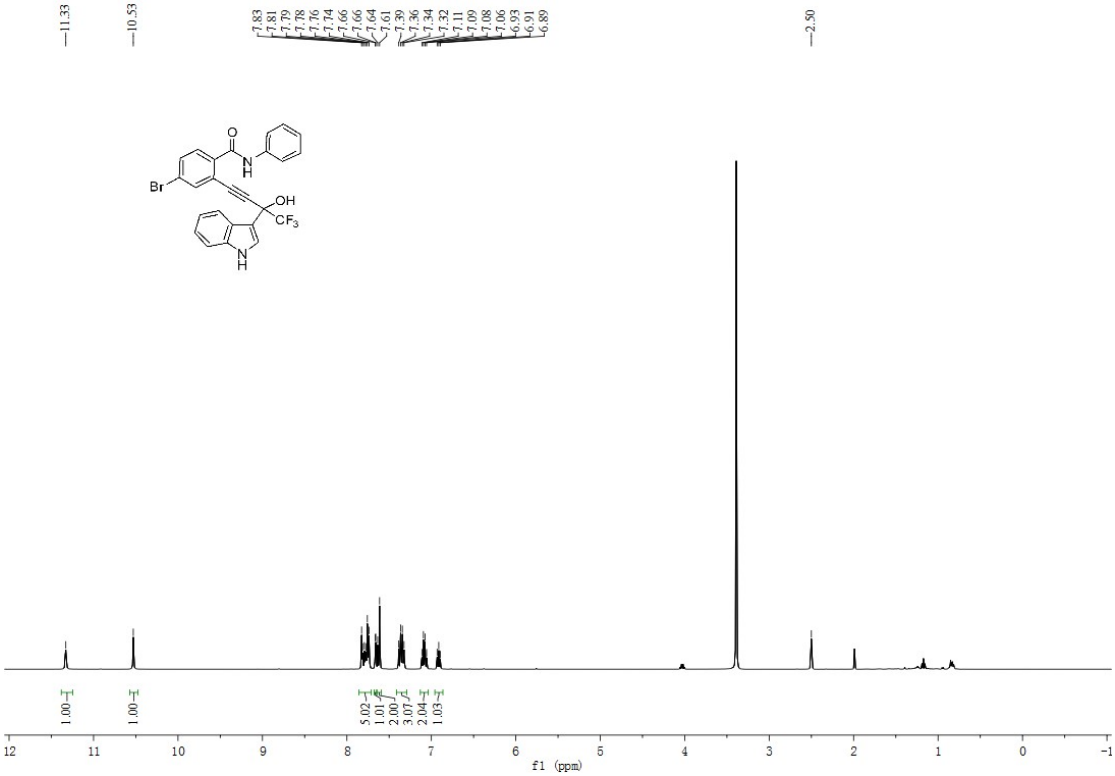
5-Fluoro-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1q)

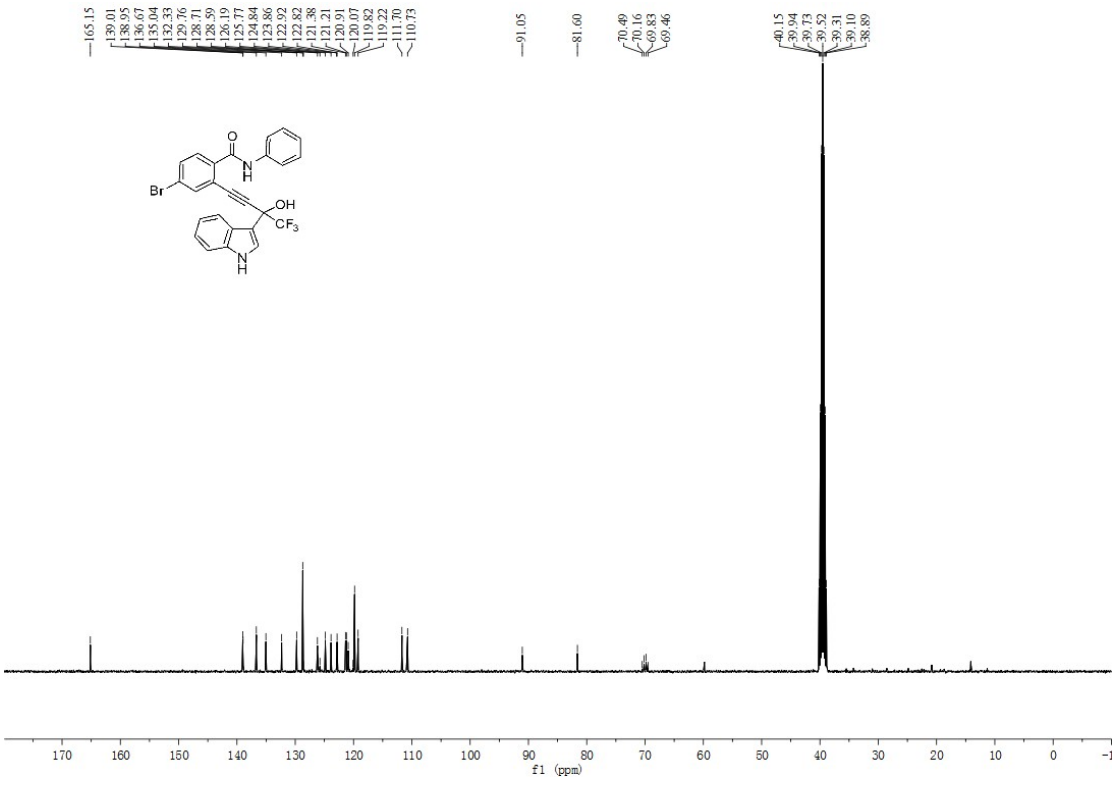


5-Chloro-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1r)

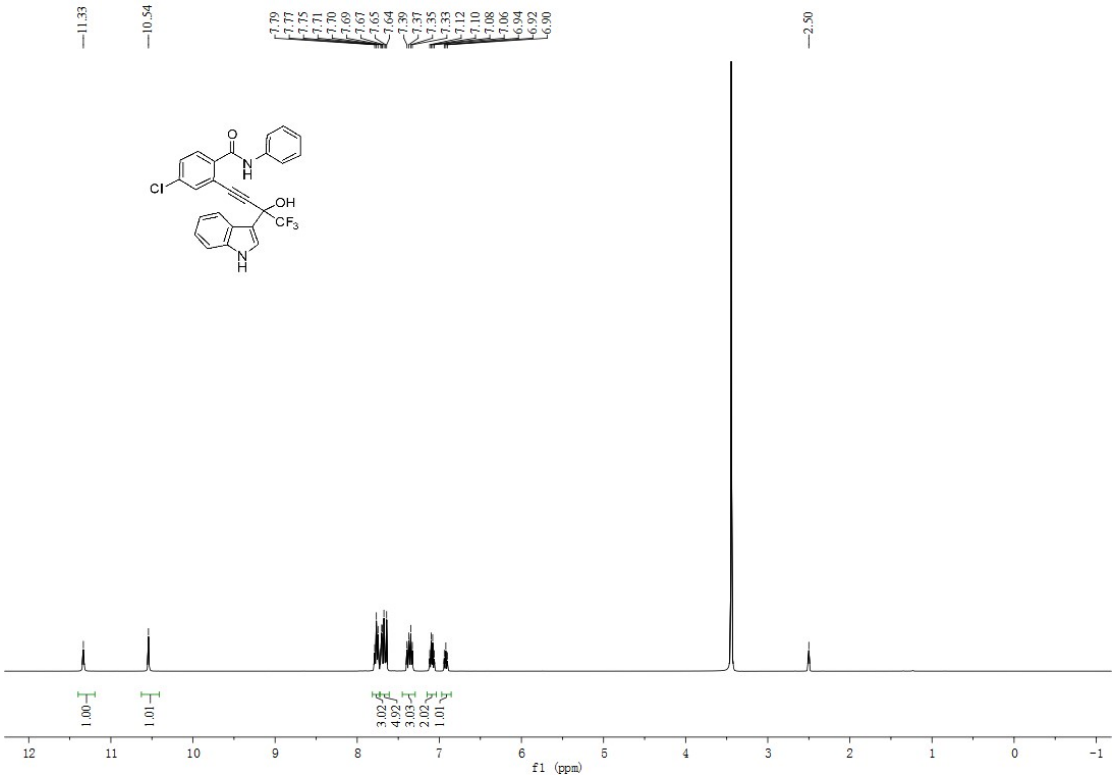


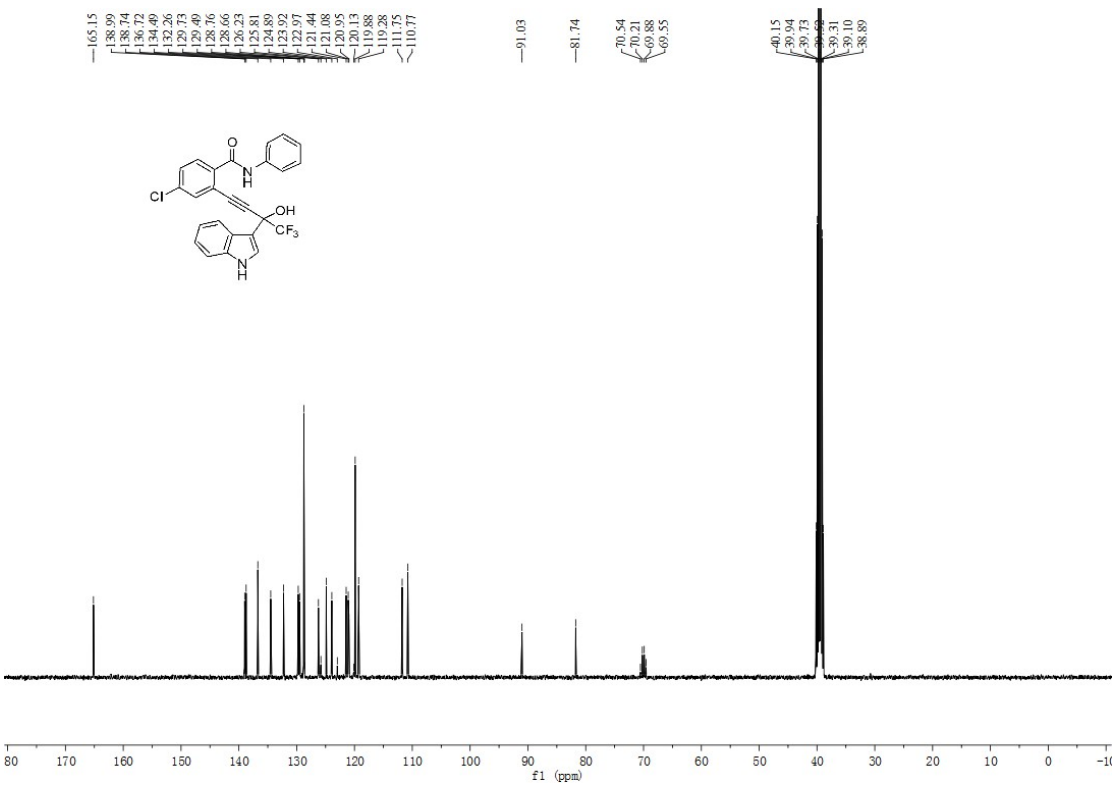
4-Bromo-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1s)



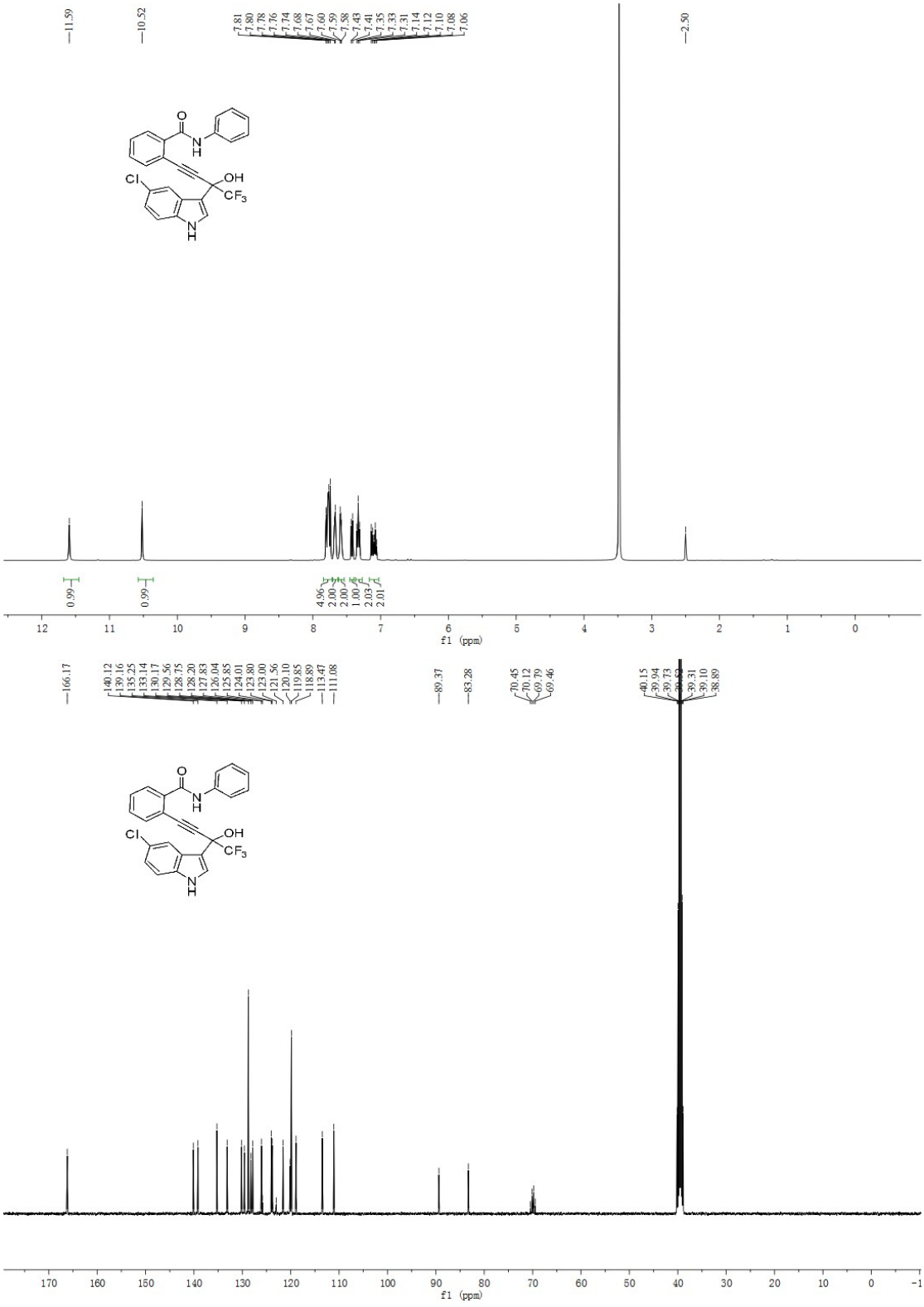


4-Chloro-N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1H-indol-3-yl)but-1-yn-1-yl)benzamide (1t)

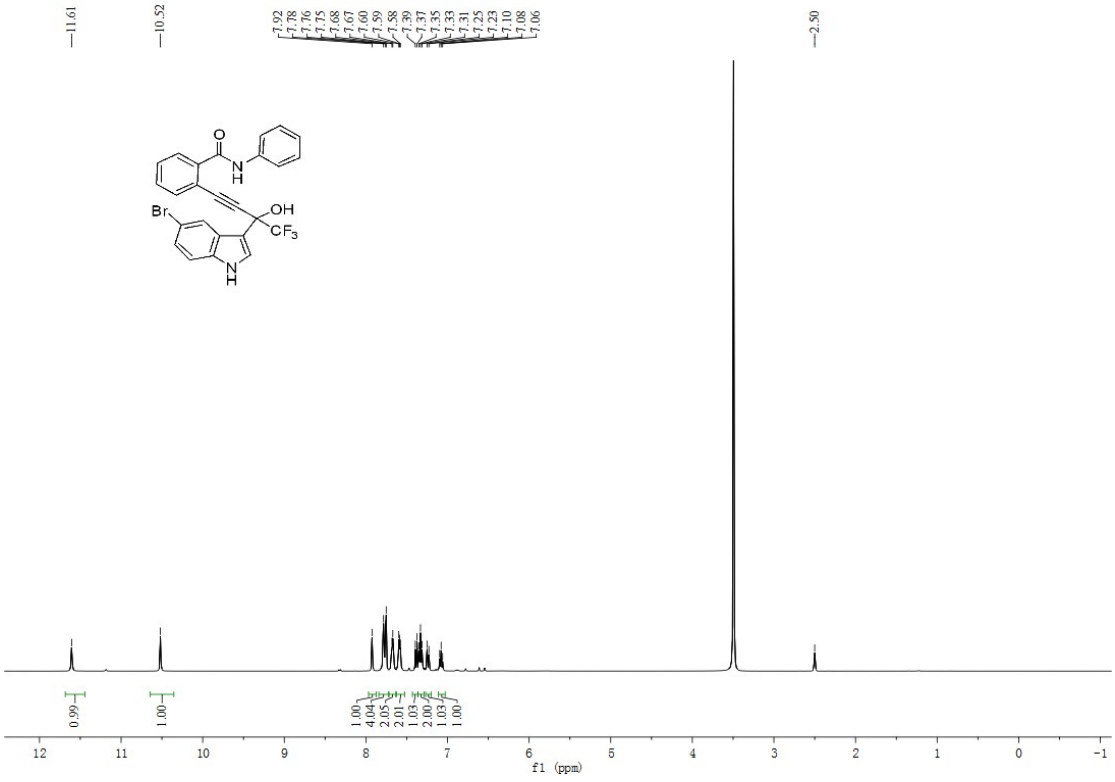


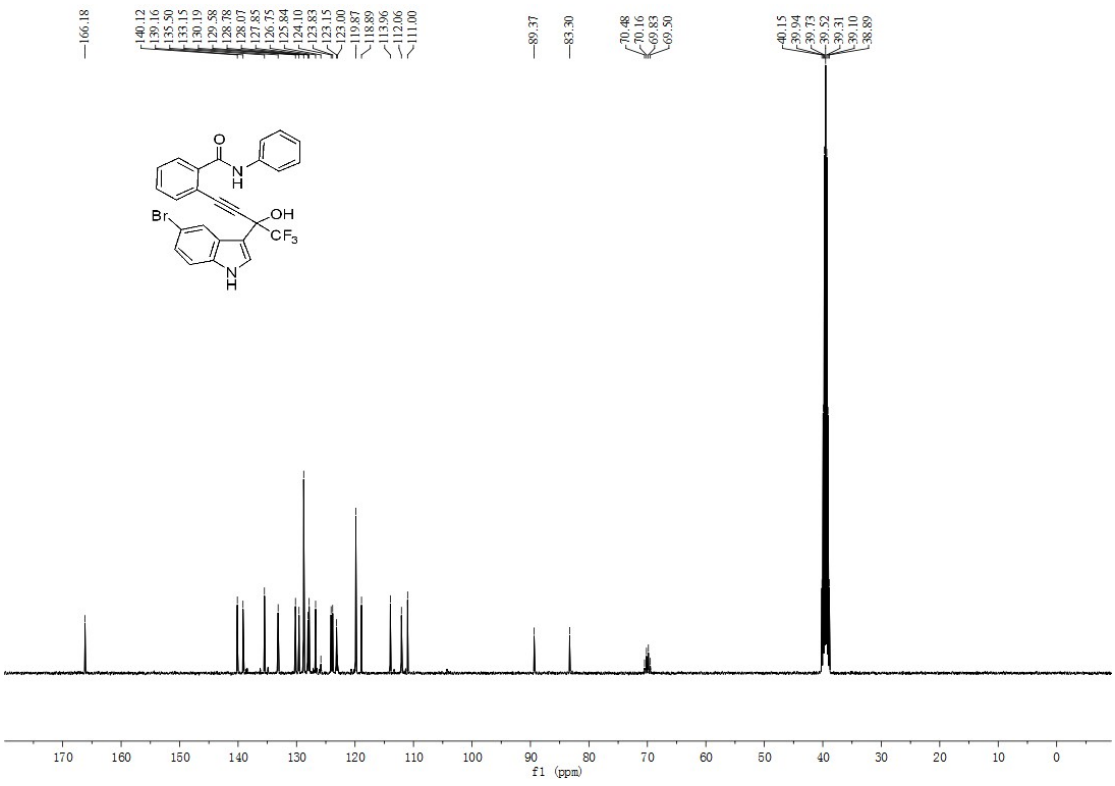


2-(3-(5-Chloro-1H-indol-3-yl)-4,4,4-trifluoro-3-hydroxybut-1-yn-1-yl)-N-phenylbenzamide (1u)

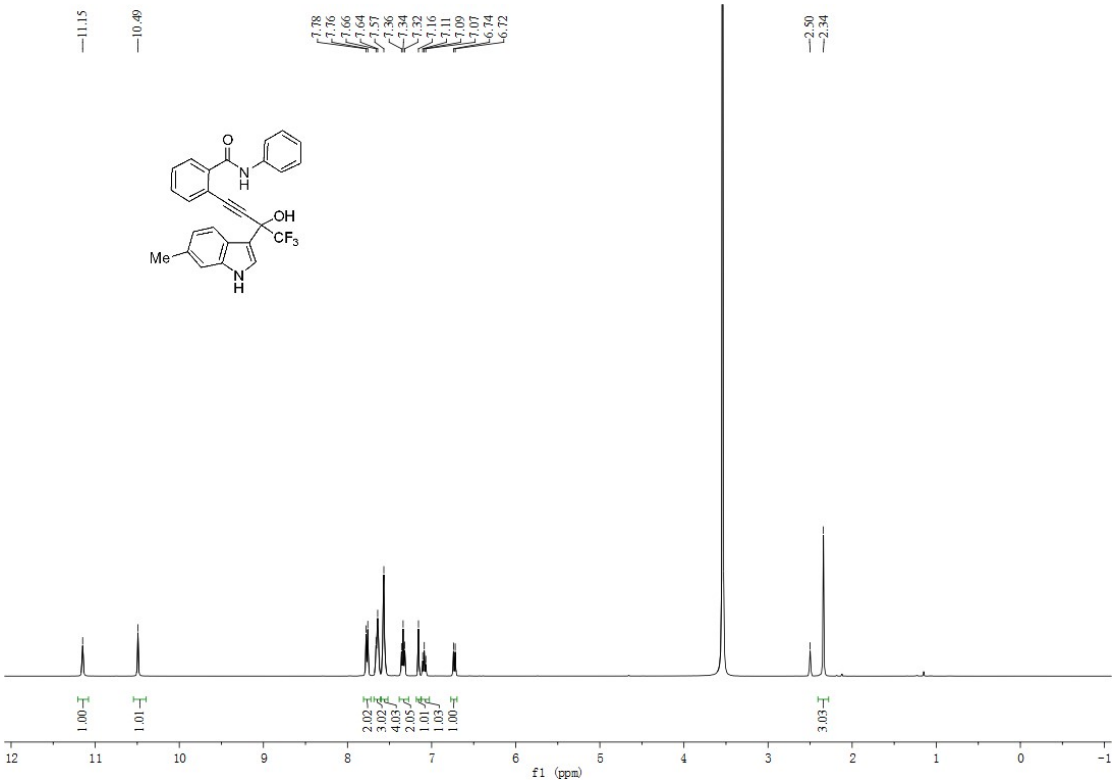


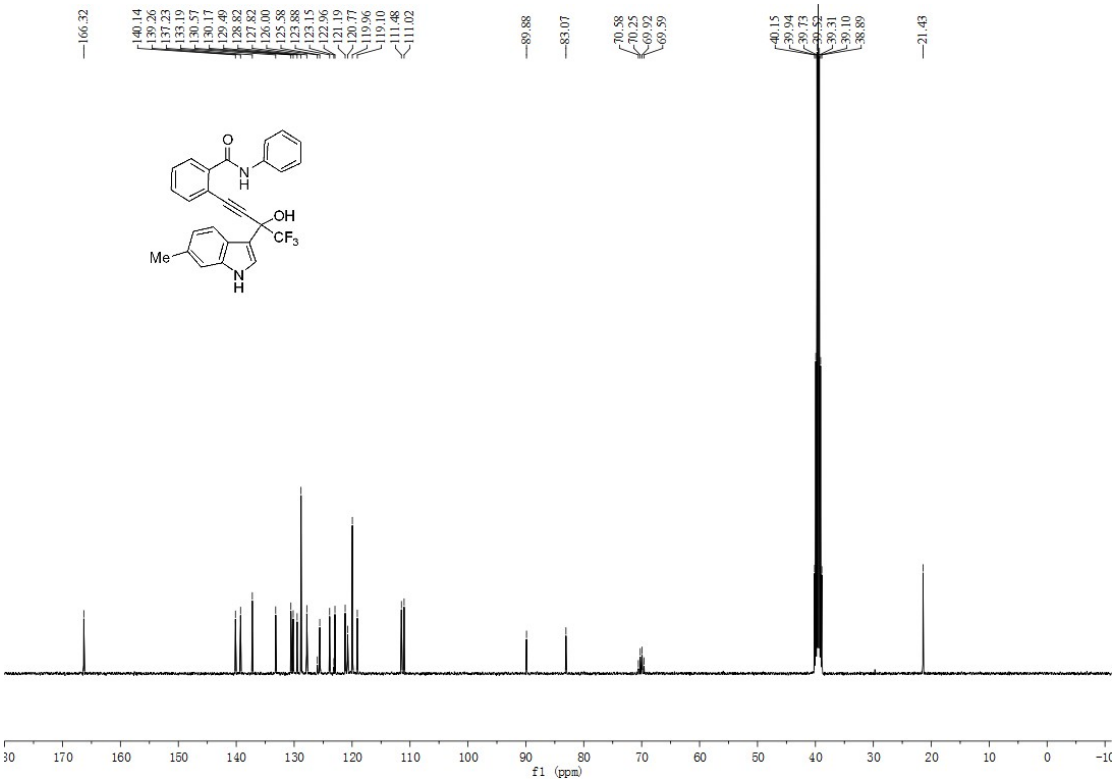
2-(3-(5-Bromo-1H-indol-3-yl)-4,4,4-trifluoro-3-hydroxybut-1-yn-1-yl)-N-phenylbenzamide (1v)



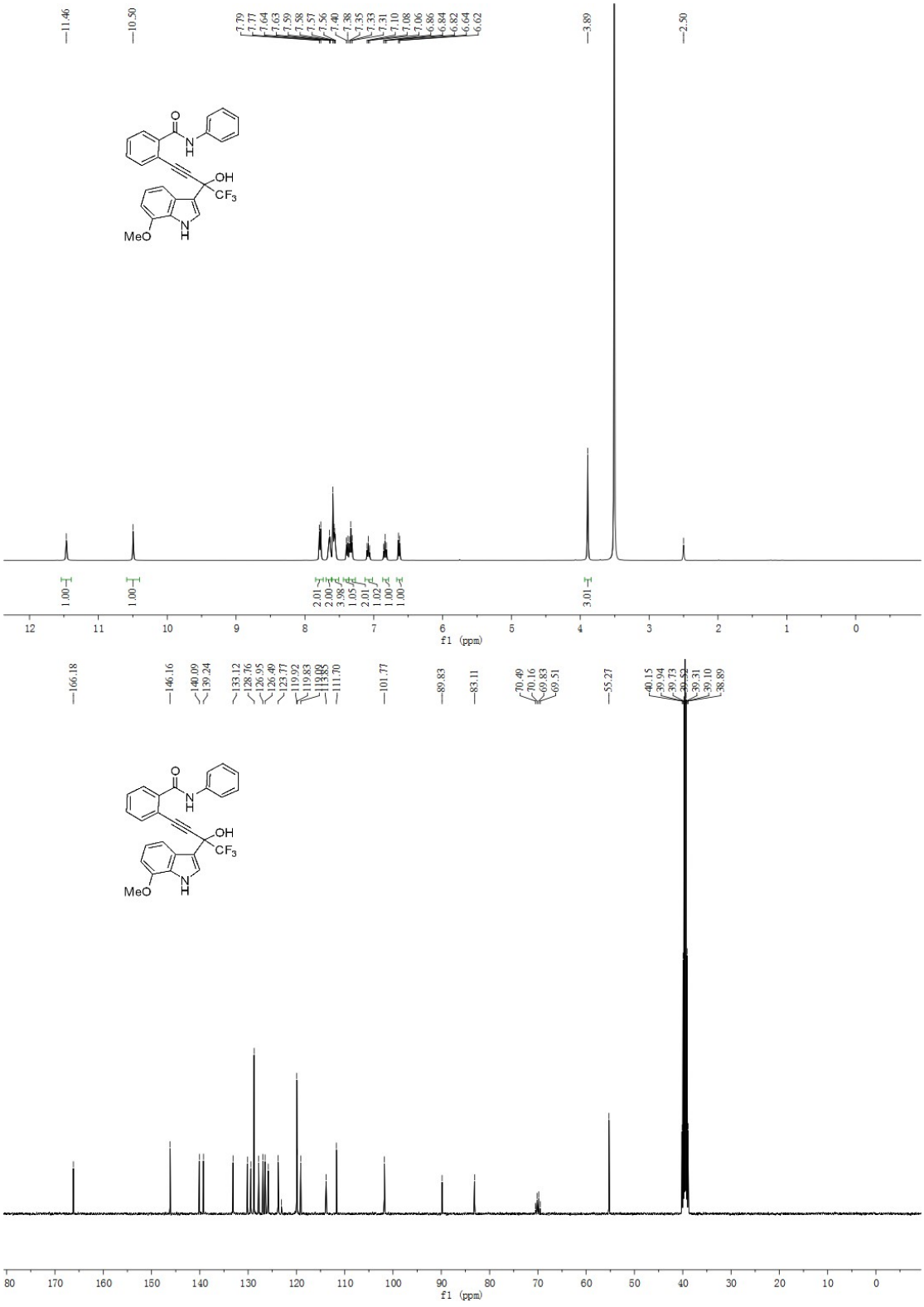


N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(6-methyl-1H-indol-3-yl)but-1-yn-1-yl)benzamide (1w)

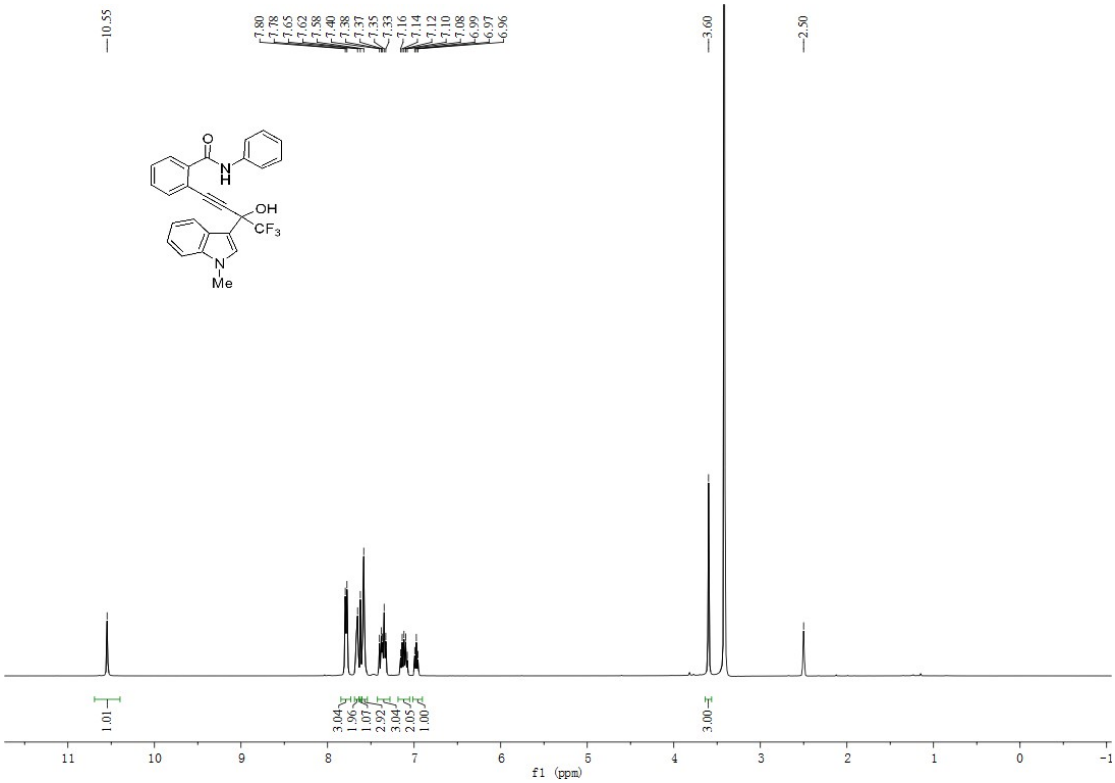


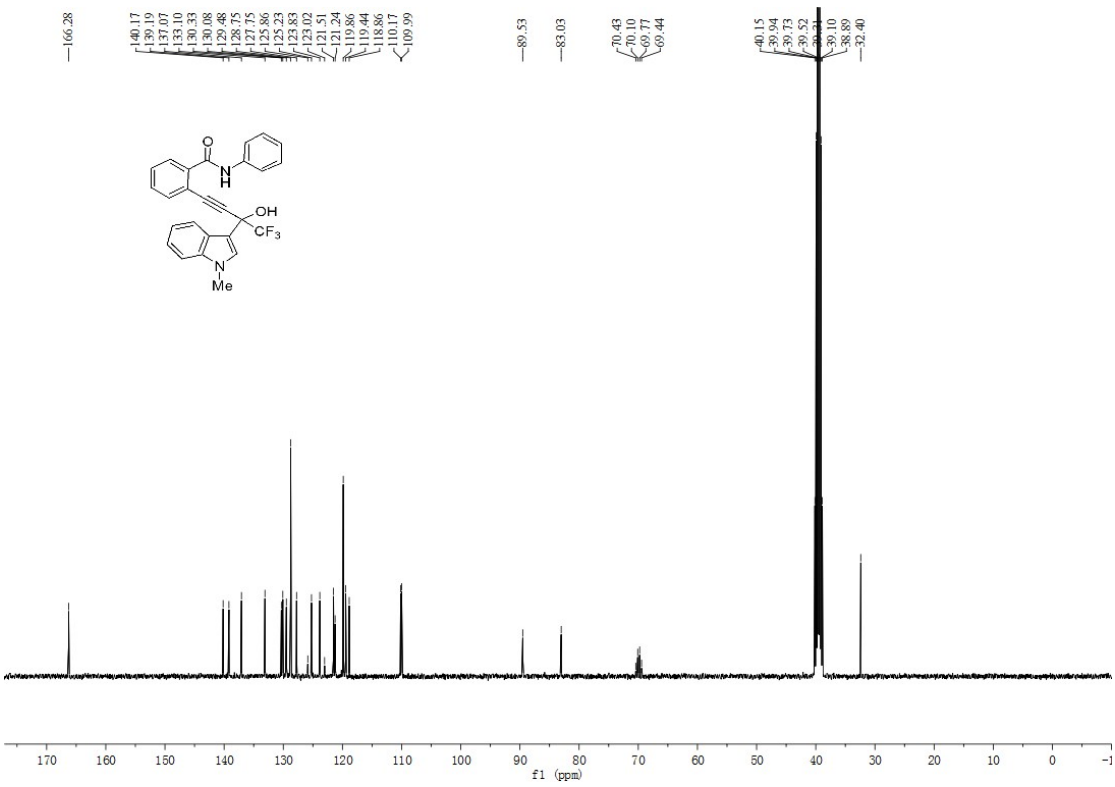


N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(7-methoxy-1H-indol-3-yl) but-1-yn-1-yl)benzamide (1x)

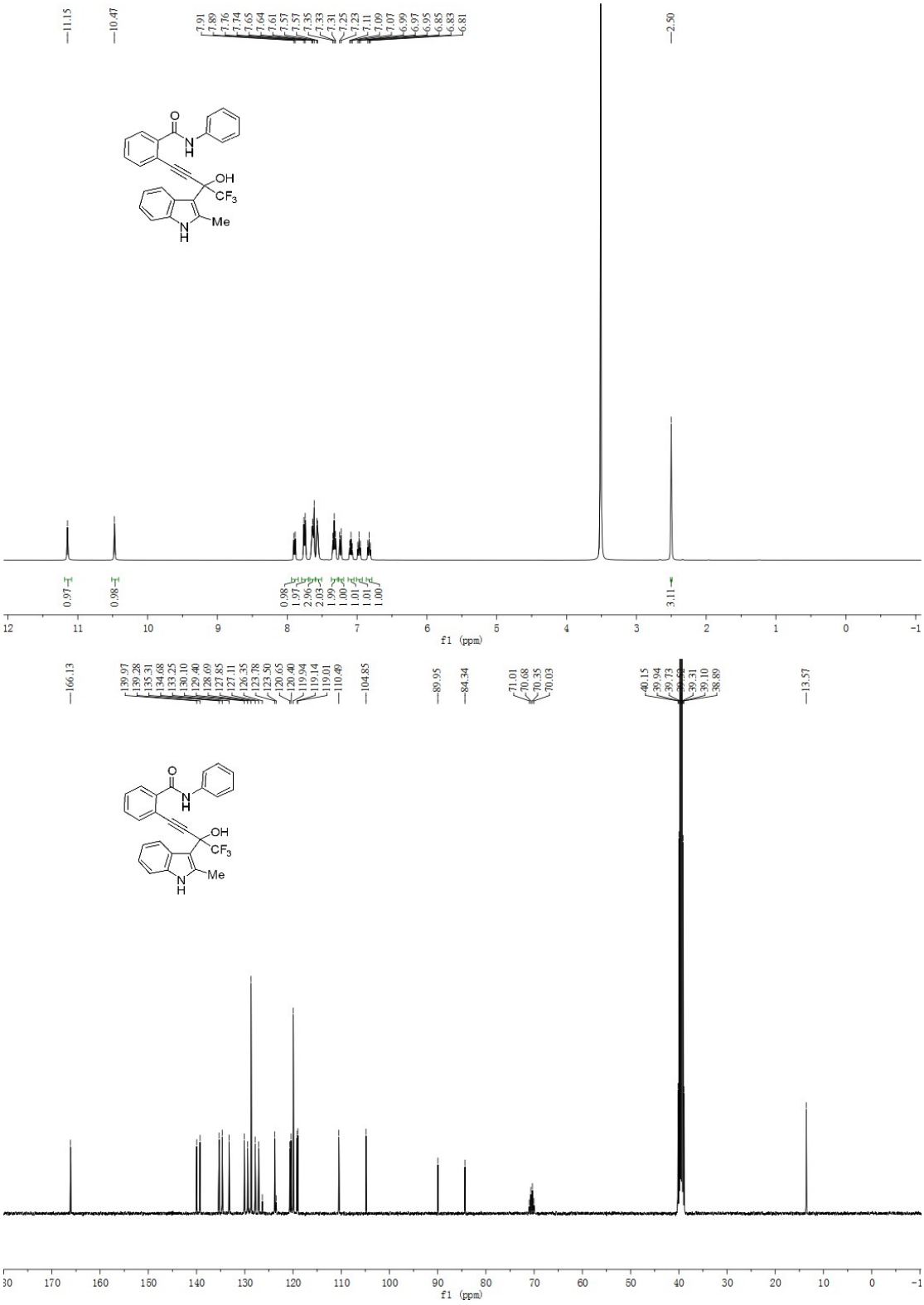


N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(1-methyl-1H-indol-3-yl)but-1-yn-1-yl)benzamide (3)

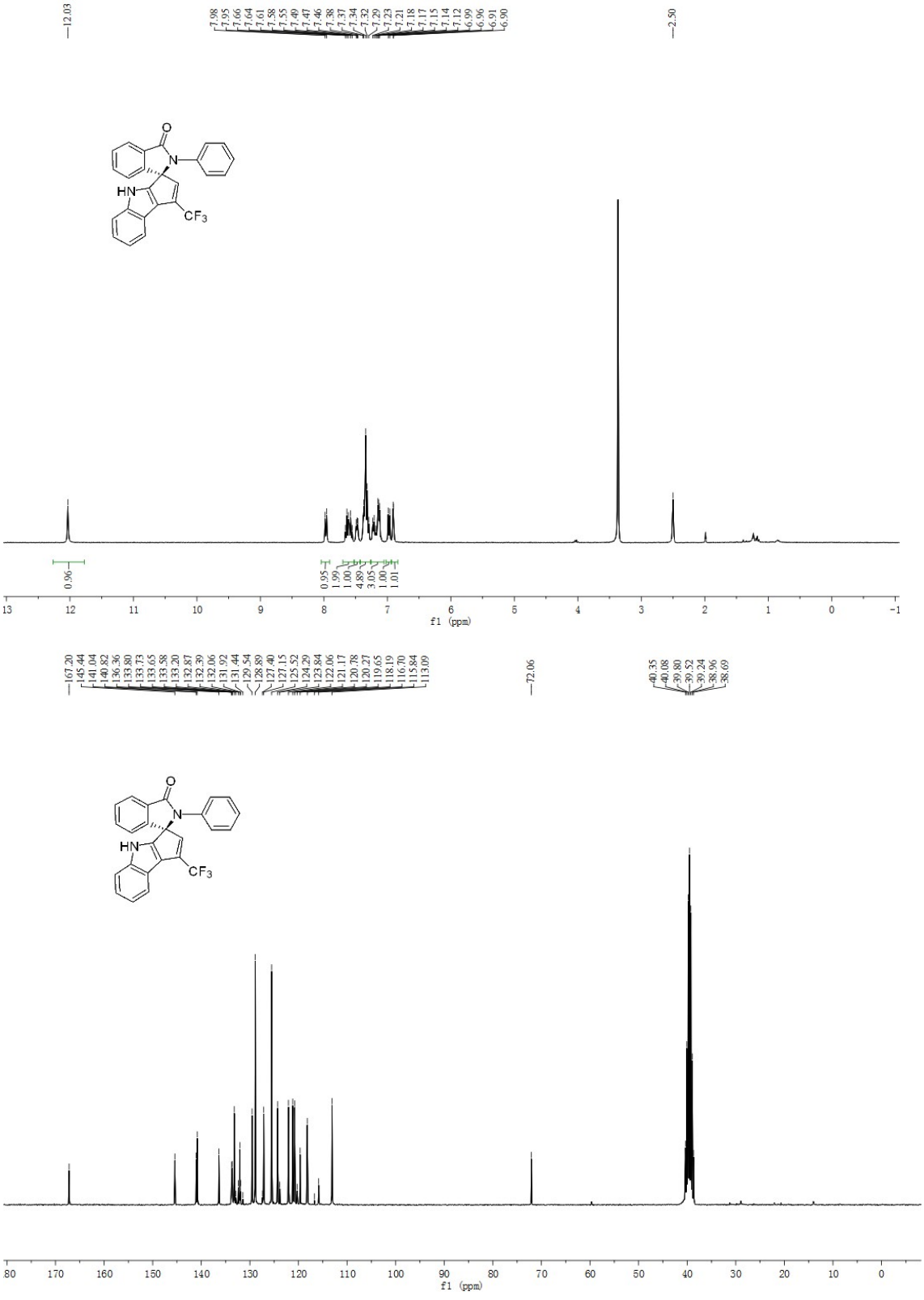




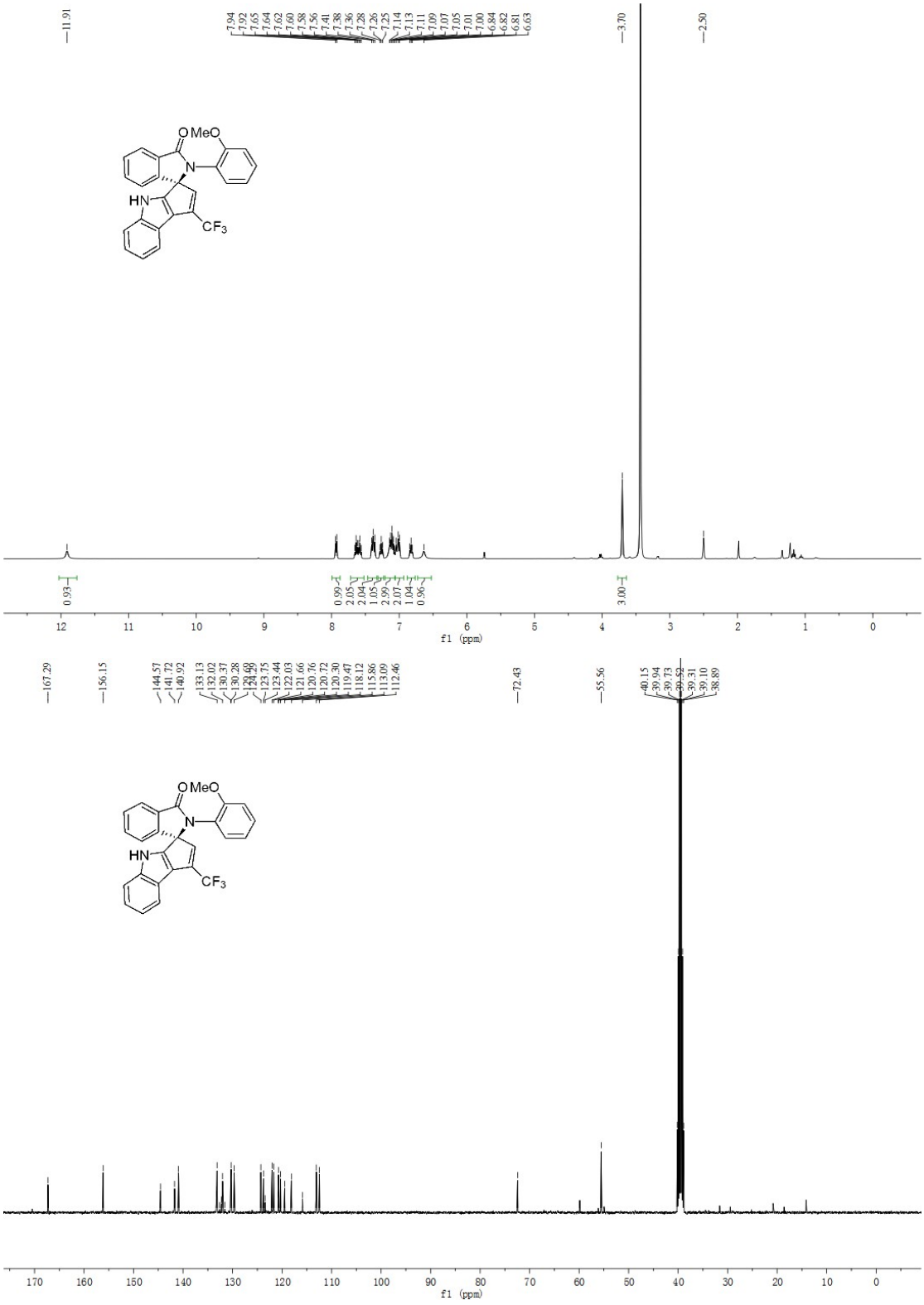
N-phenyl-2-(4,4,4-trifluoro-3-hydroxy-3-(2-methyl-1H-indol-3-yl)but-1-yn-1-yl)benzamide (5)



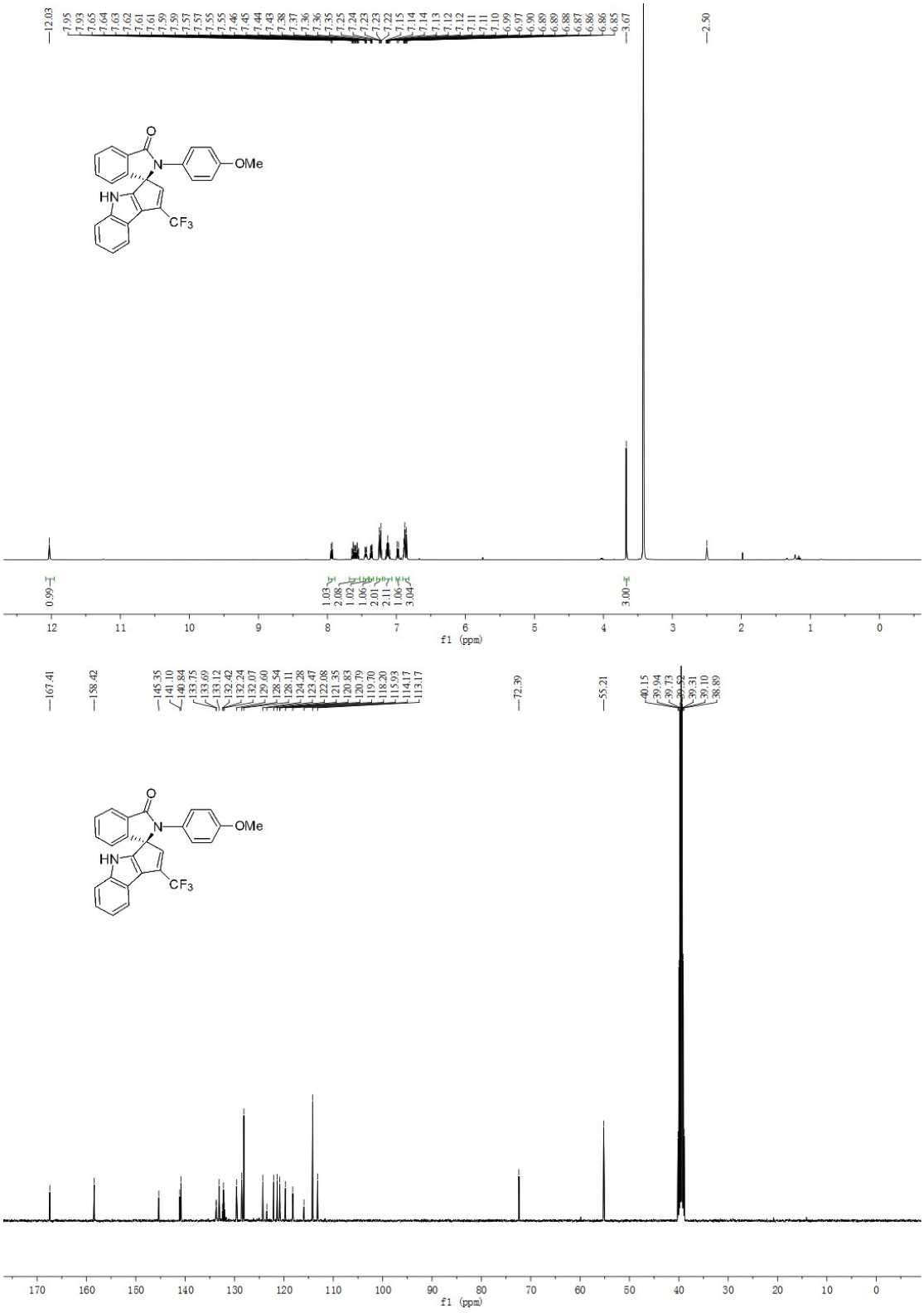
(R)-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2a)



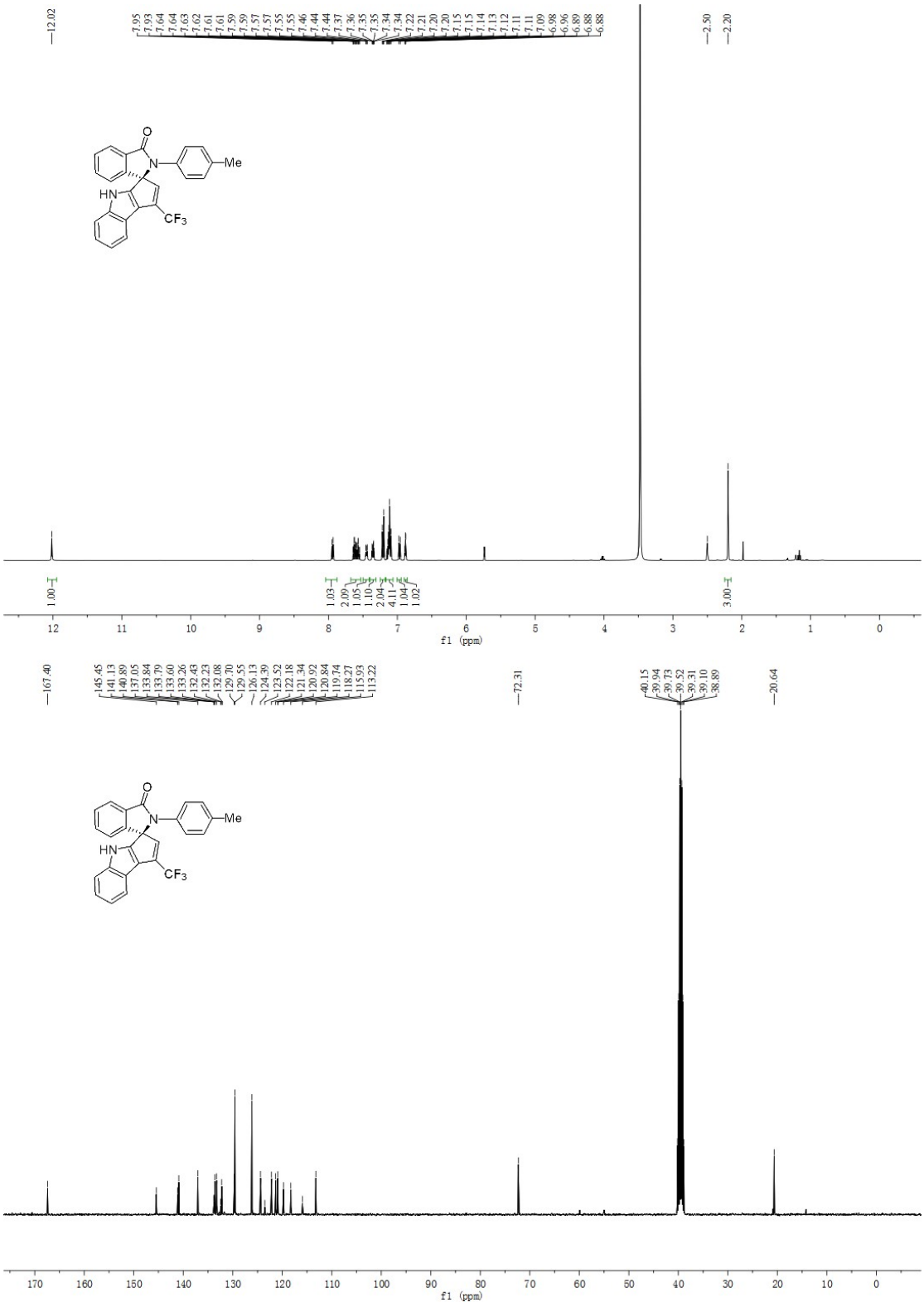
(R)-2'-(2-methoxyphenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2b)



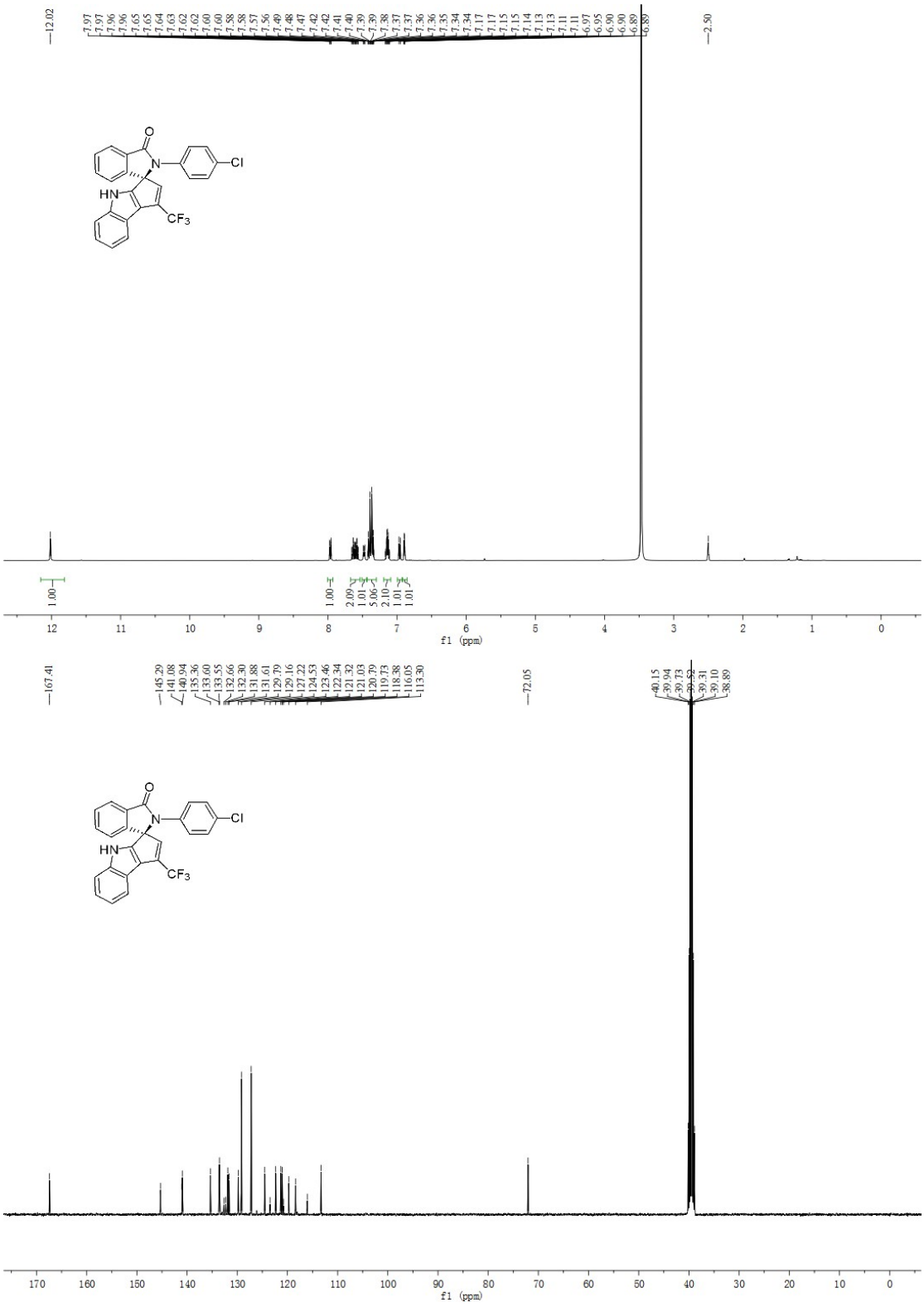
(R)-2'-(4-methoxyphenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2c)



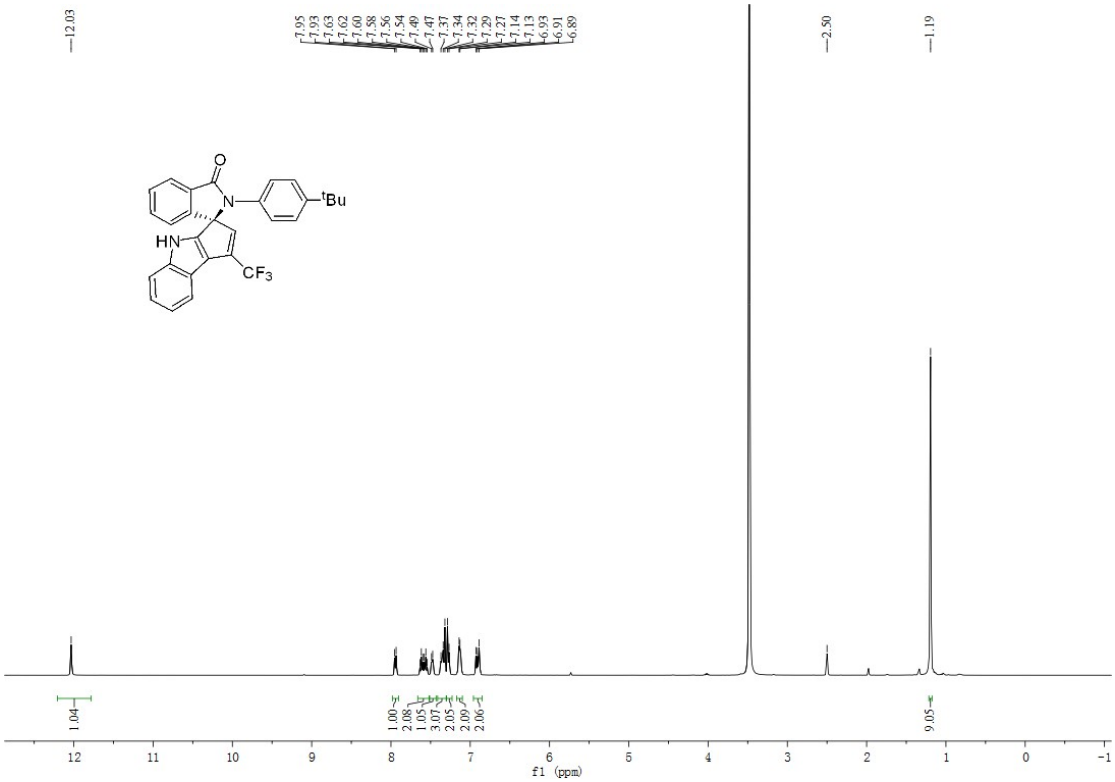
(R)-2'-(p-tolyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2d)

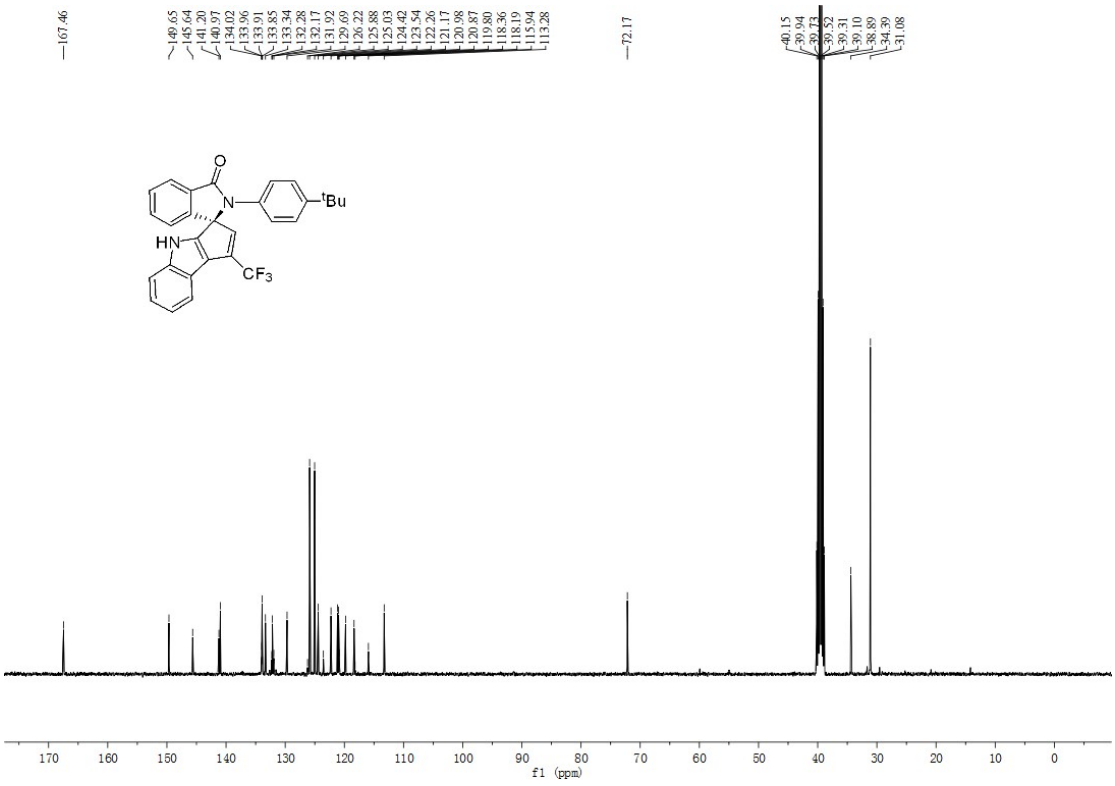


(R)-2'-(4-chlorophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2e)

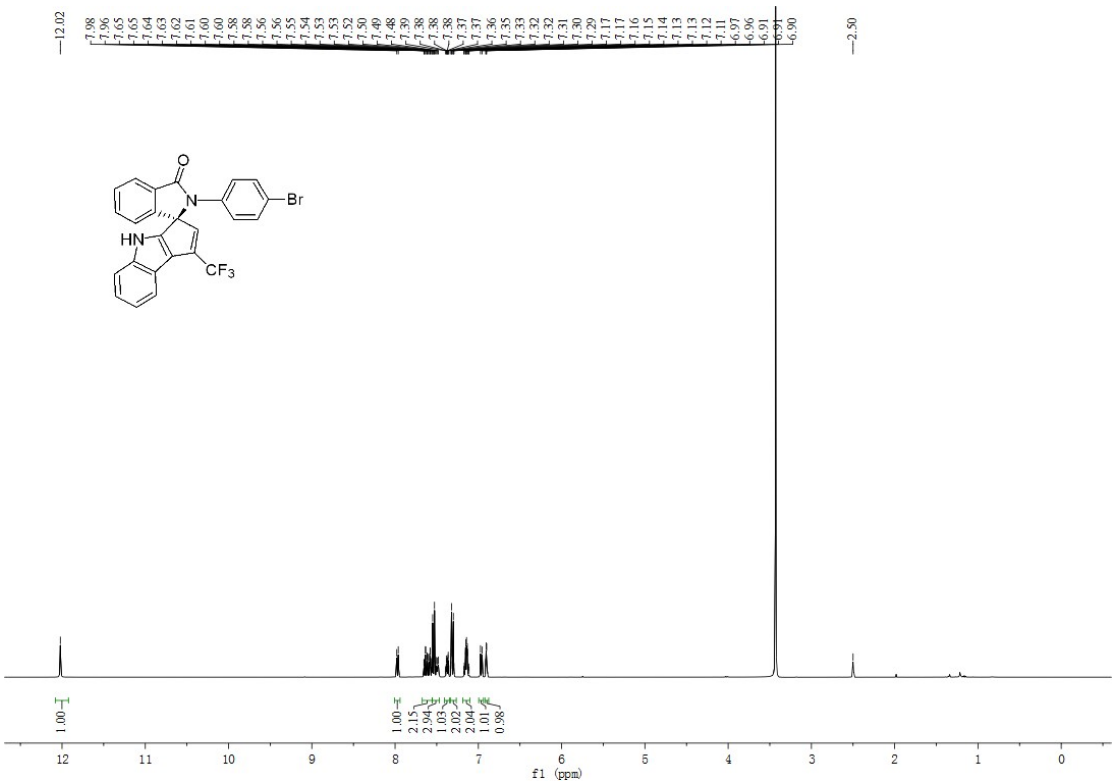


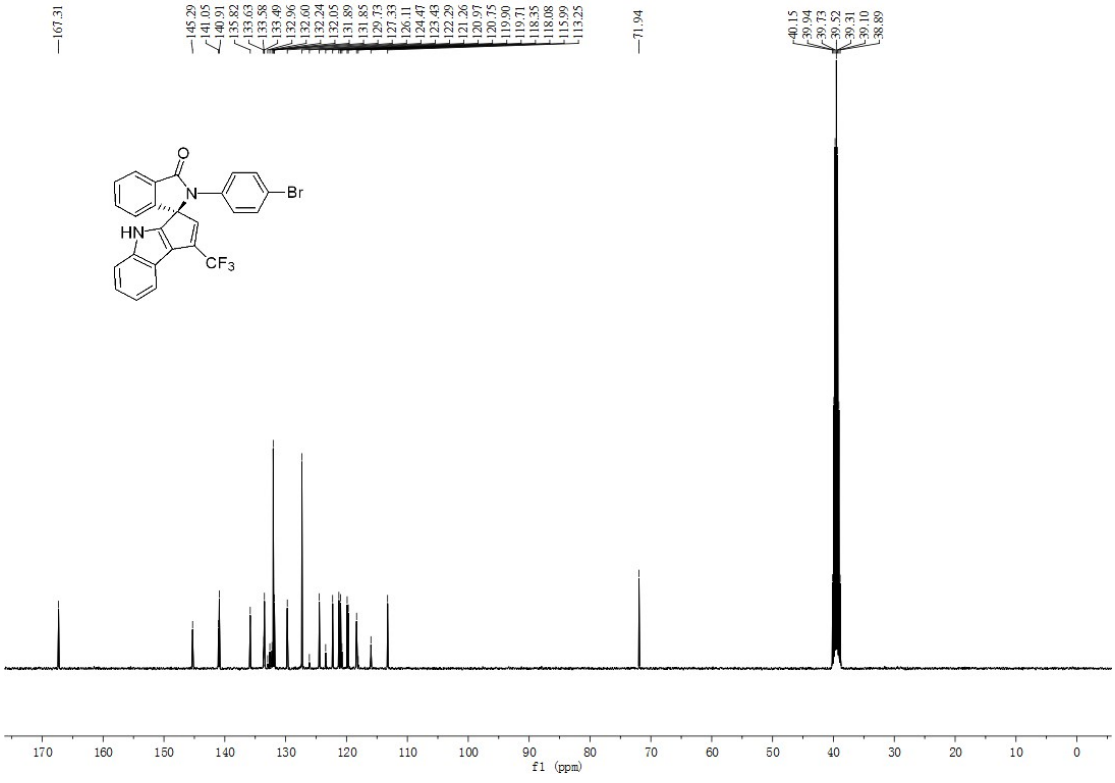
(R)-2'-(4-(tert-butyl)phenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2f)



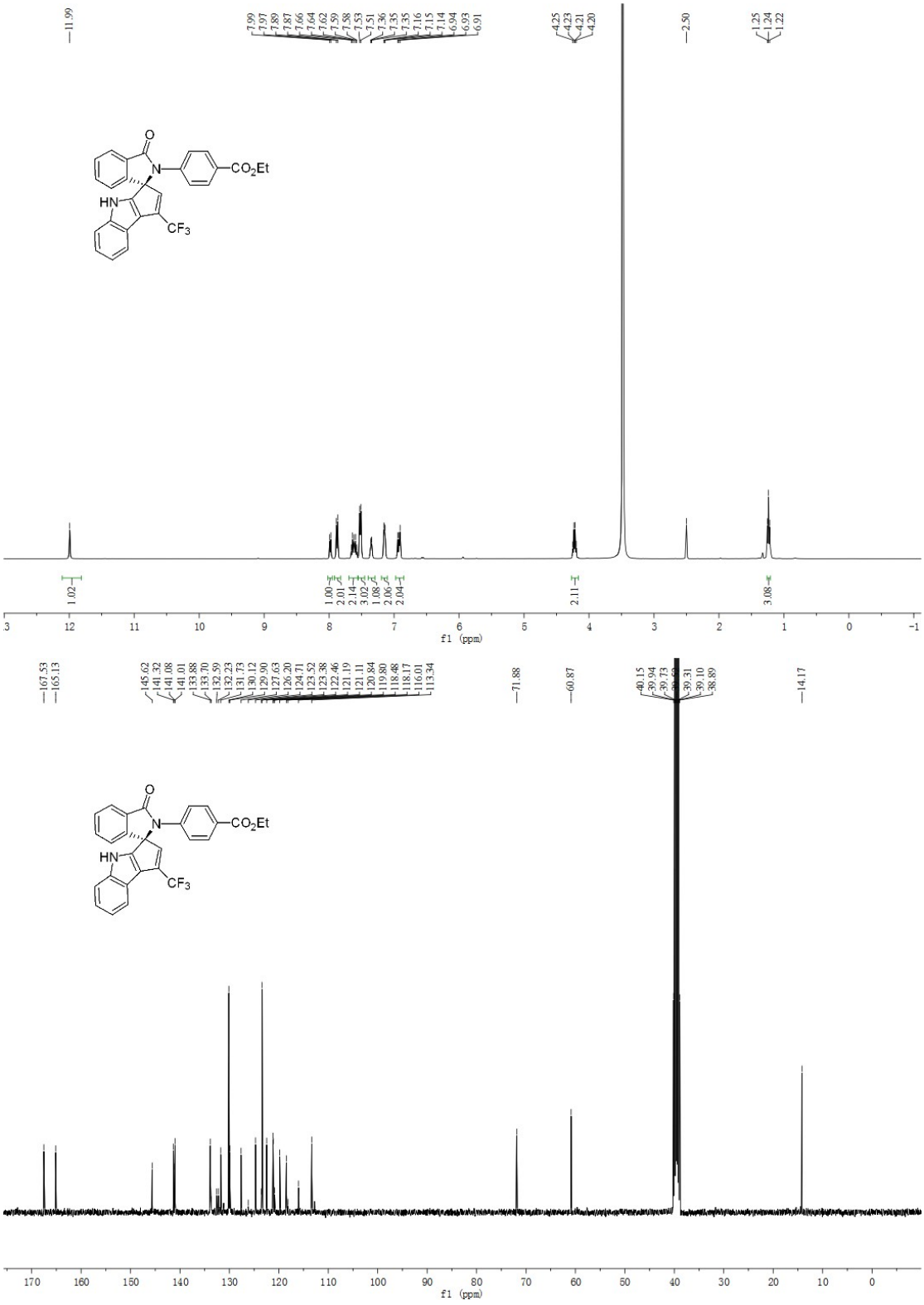


(R)-2'-(4-bromophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2g)

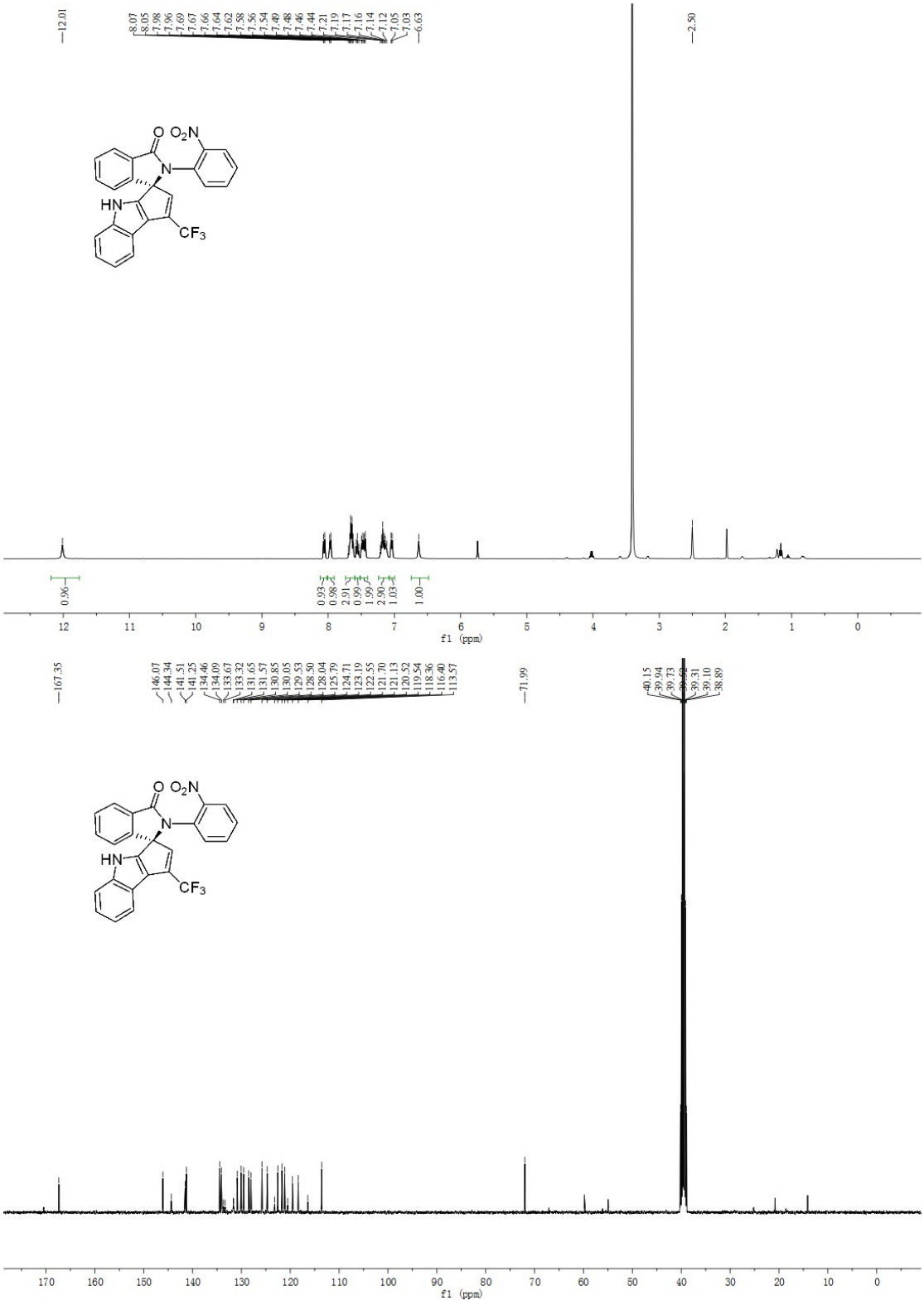




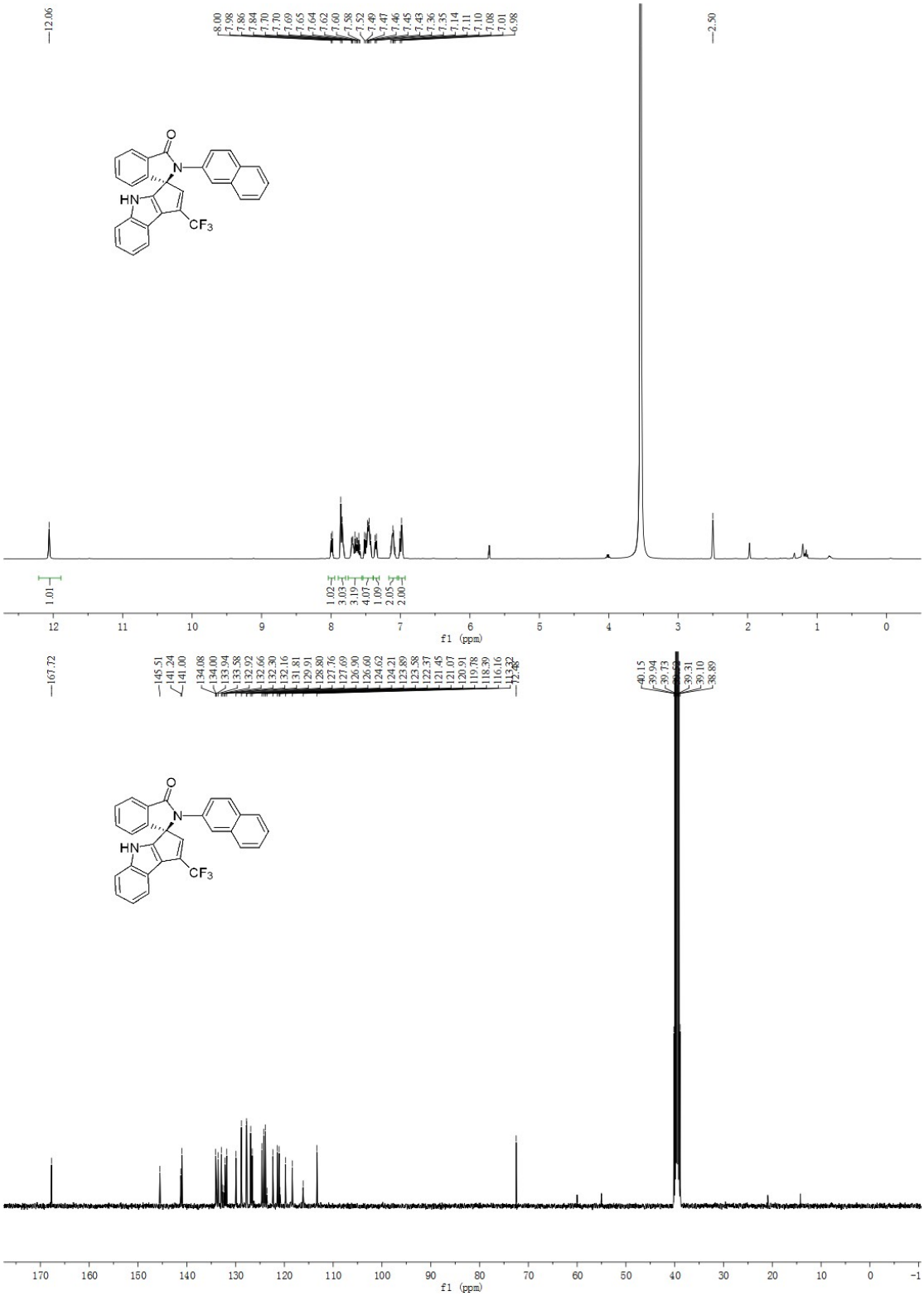
Ethyl (R)-4-(3'-oxo-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole- 3,1'-isoindolin]-2'-yl)benzoate (2h)



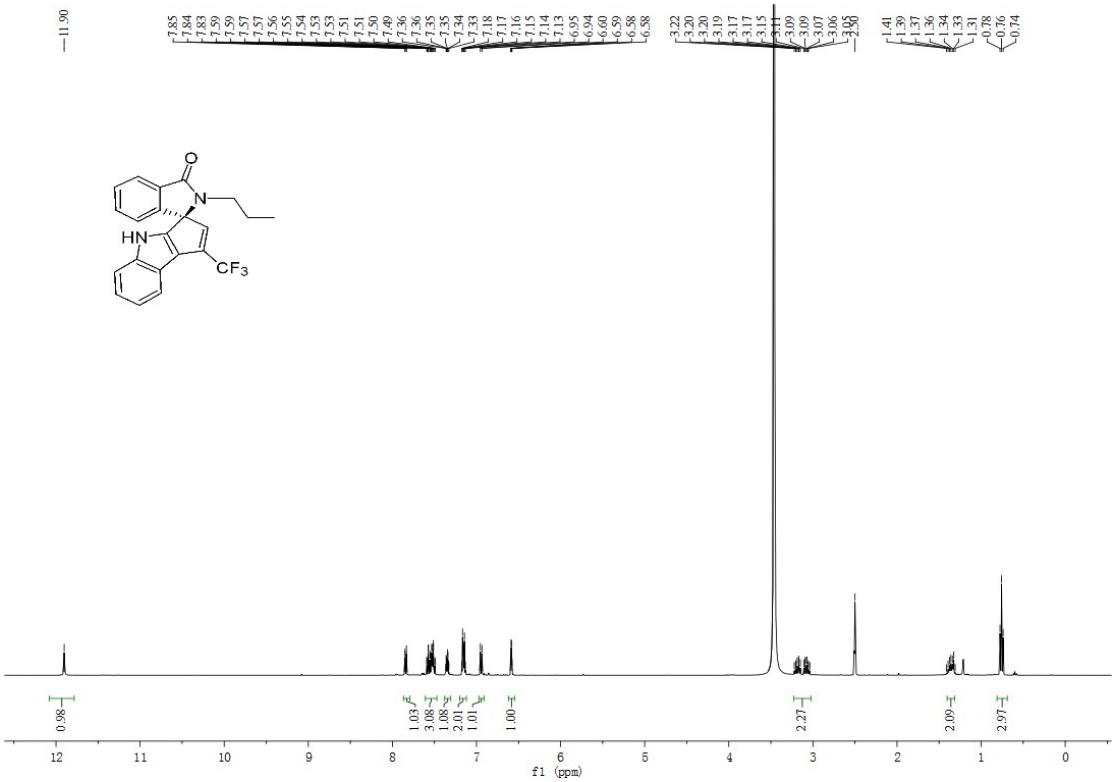
(R)-2'-(2-nitrophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2i)

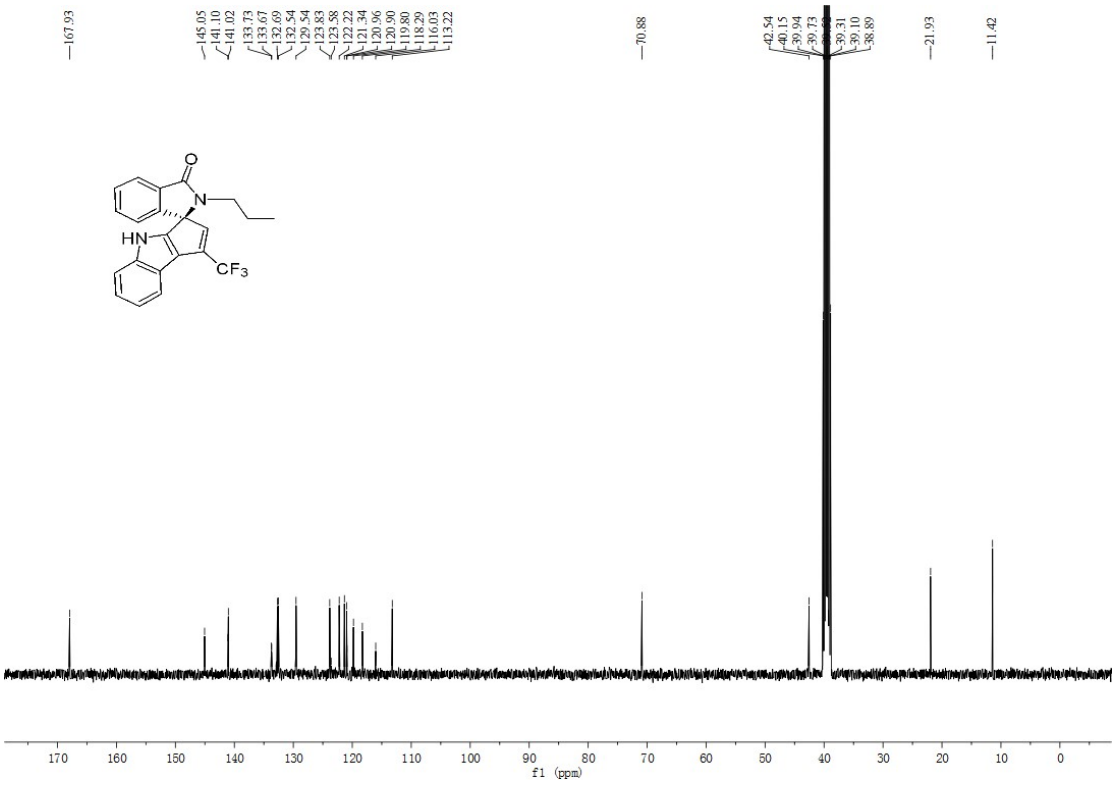


(R)-2'-(naphthalen-2-yl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2j)

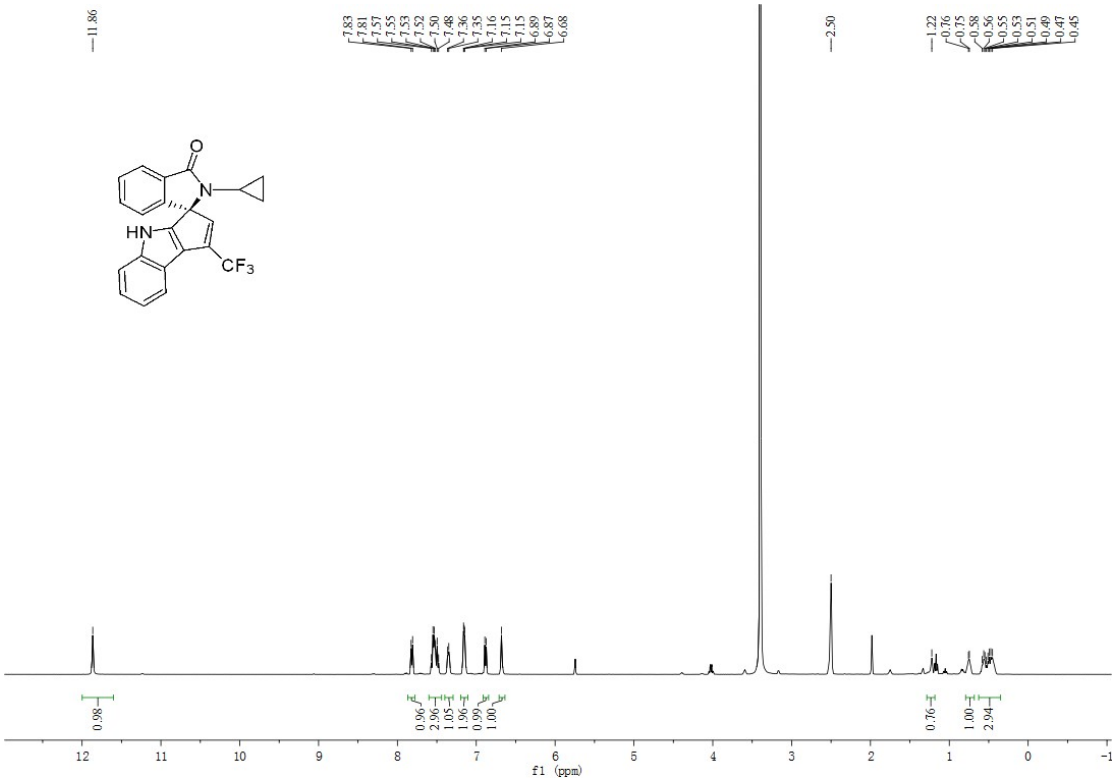


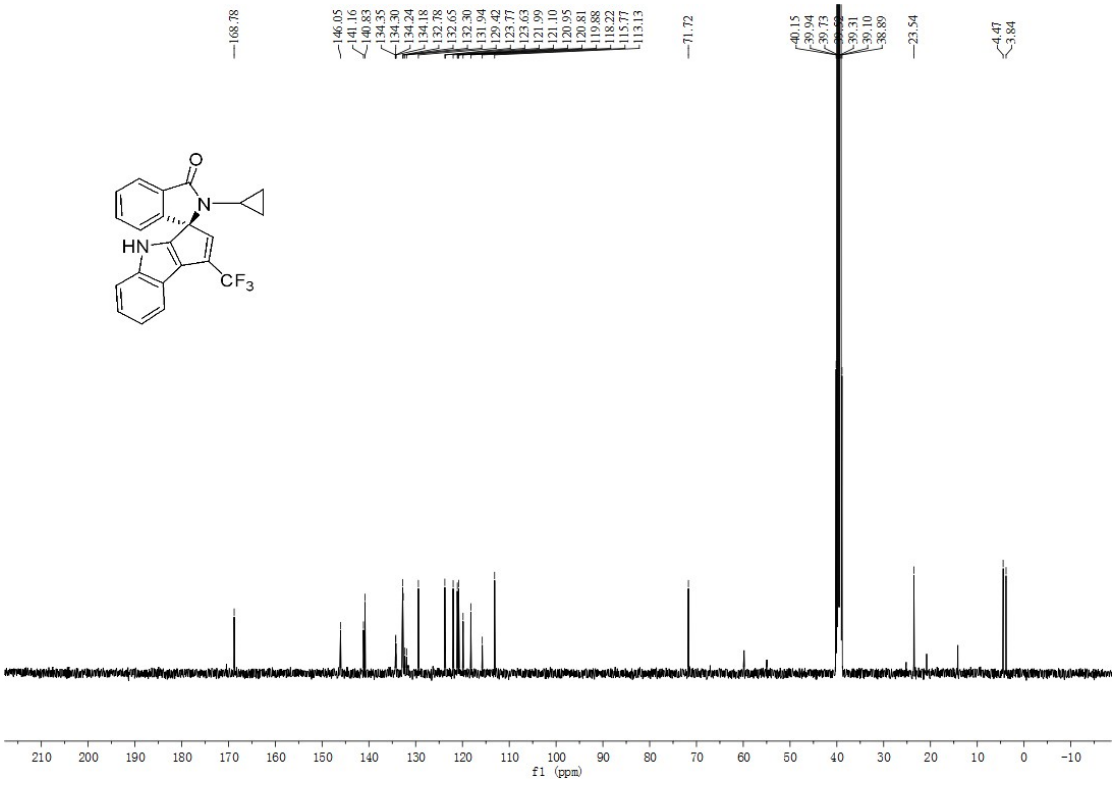
(R)-2'-propyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2k)



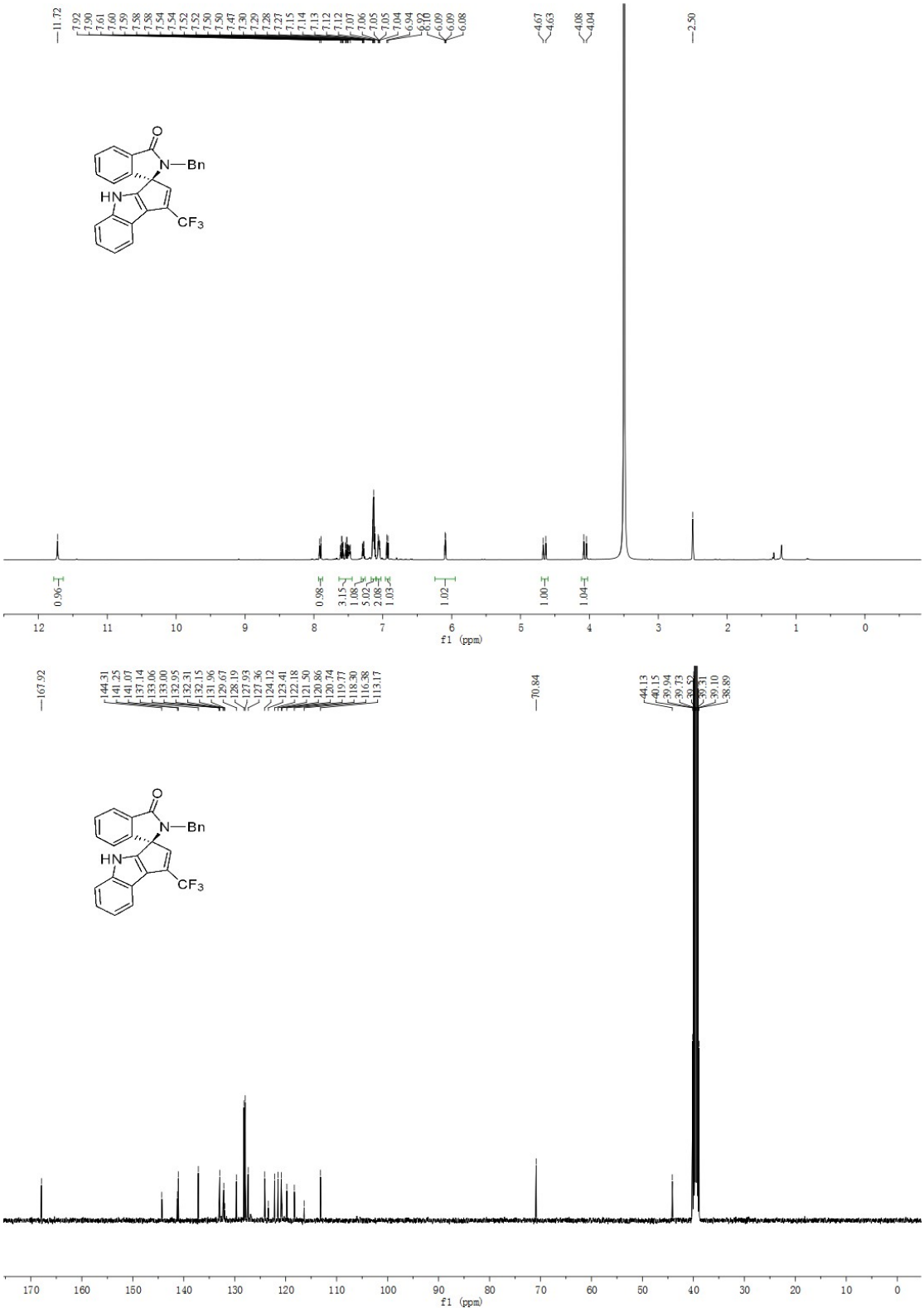


(R)-2'-cyclopropyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2l)

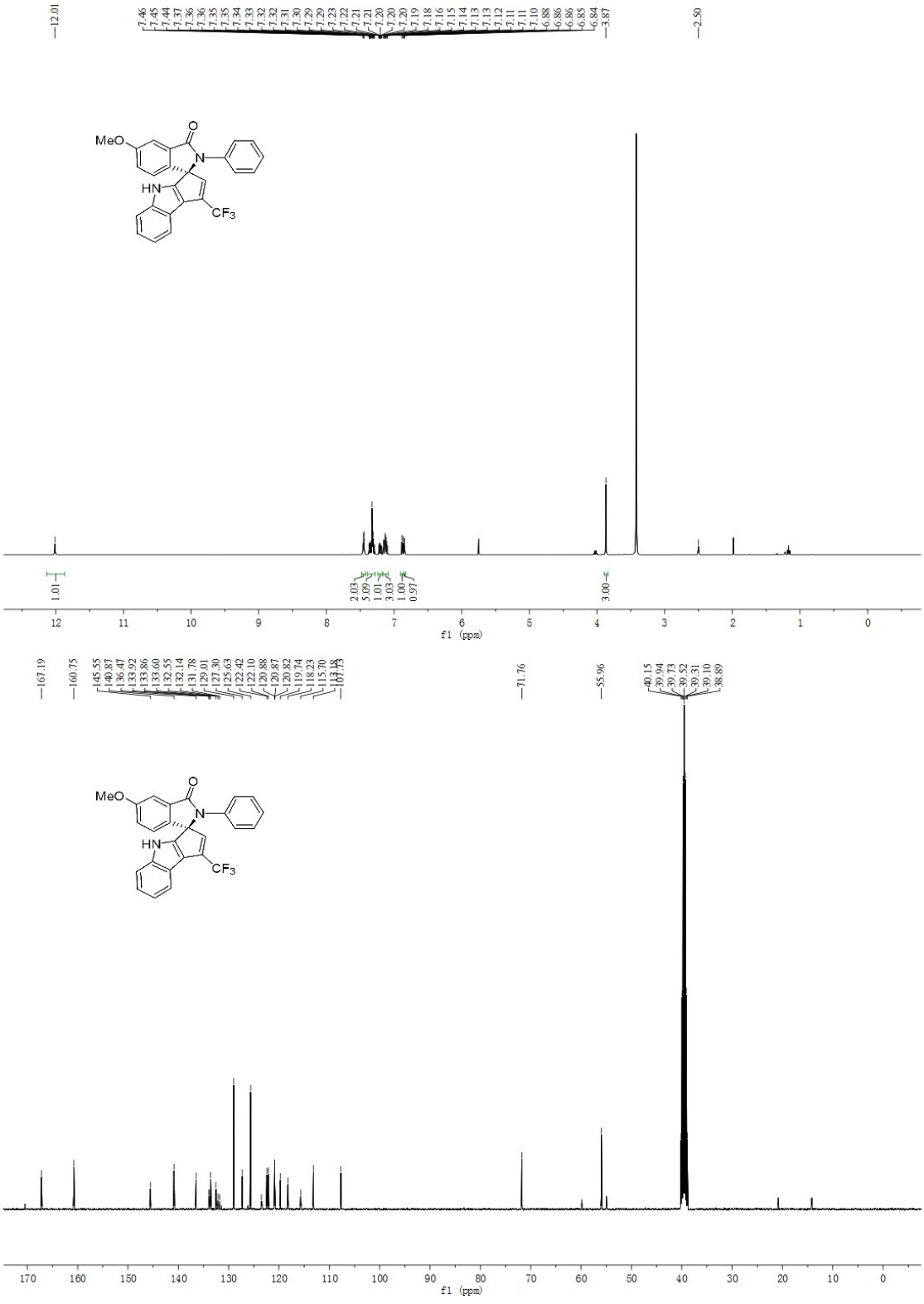




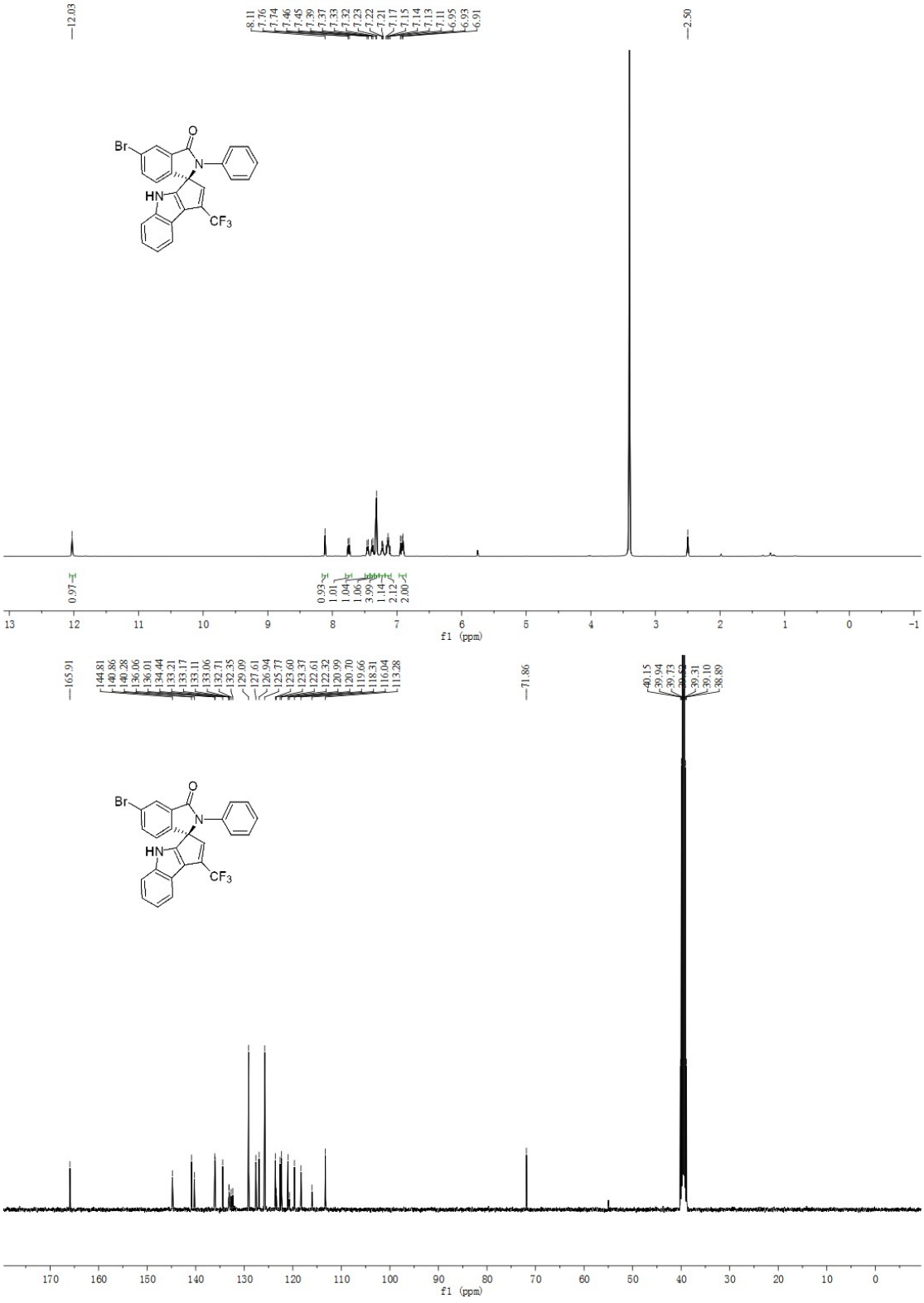
(R)-2'-benzyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2m)



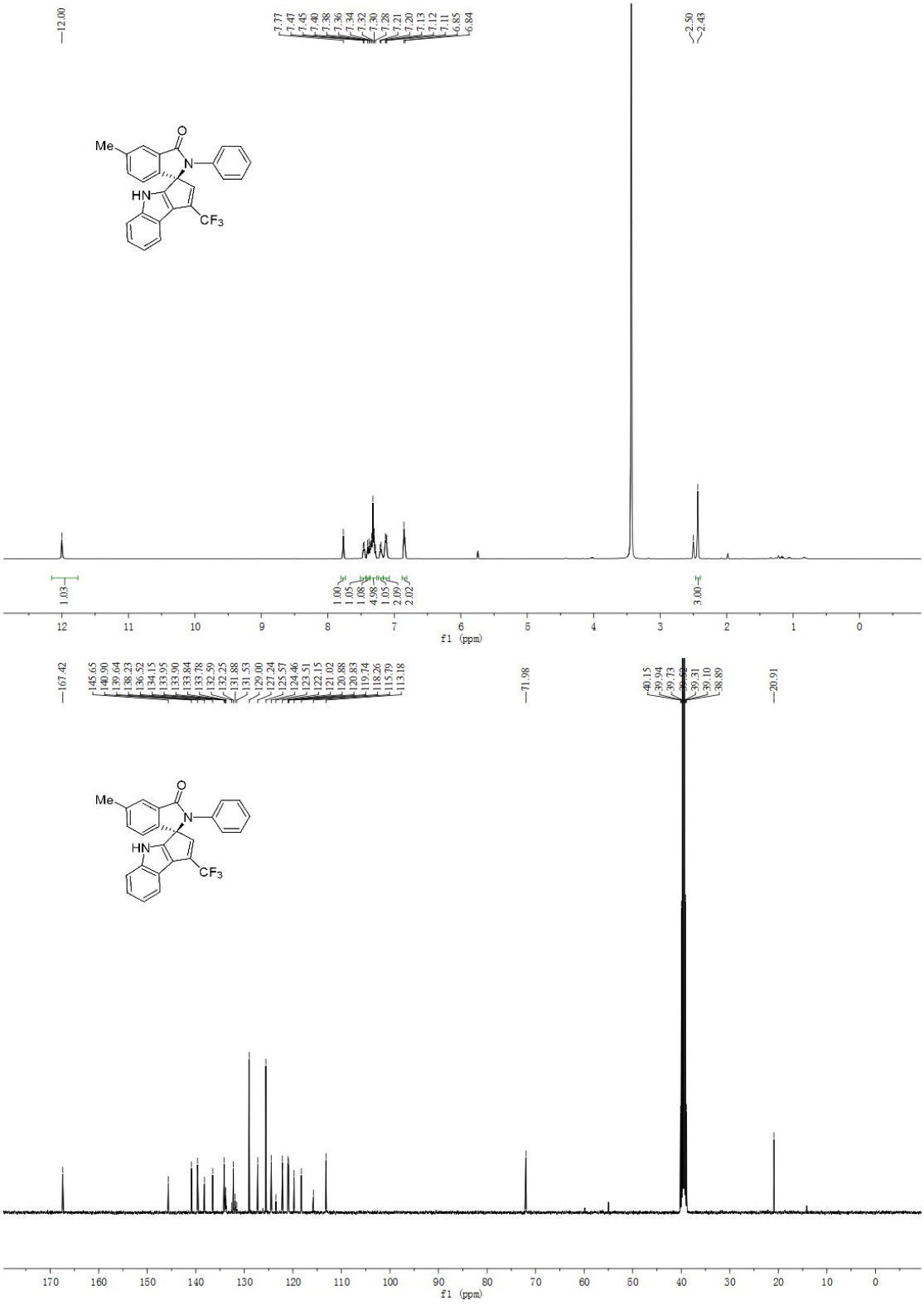
(R)-5'-methoxy-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2n)



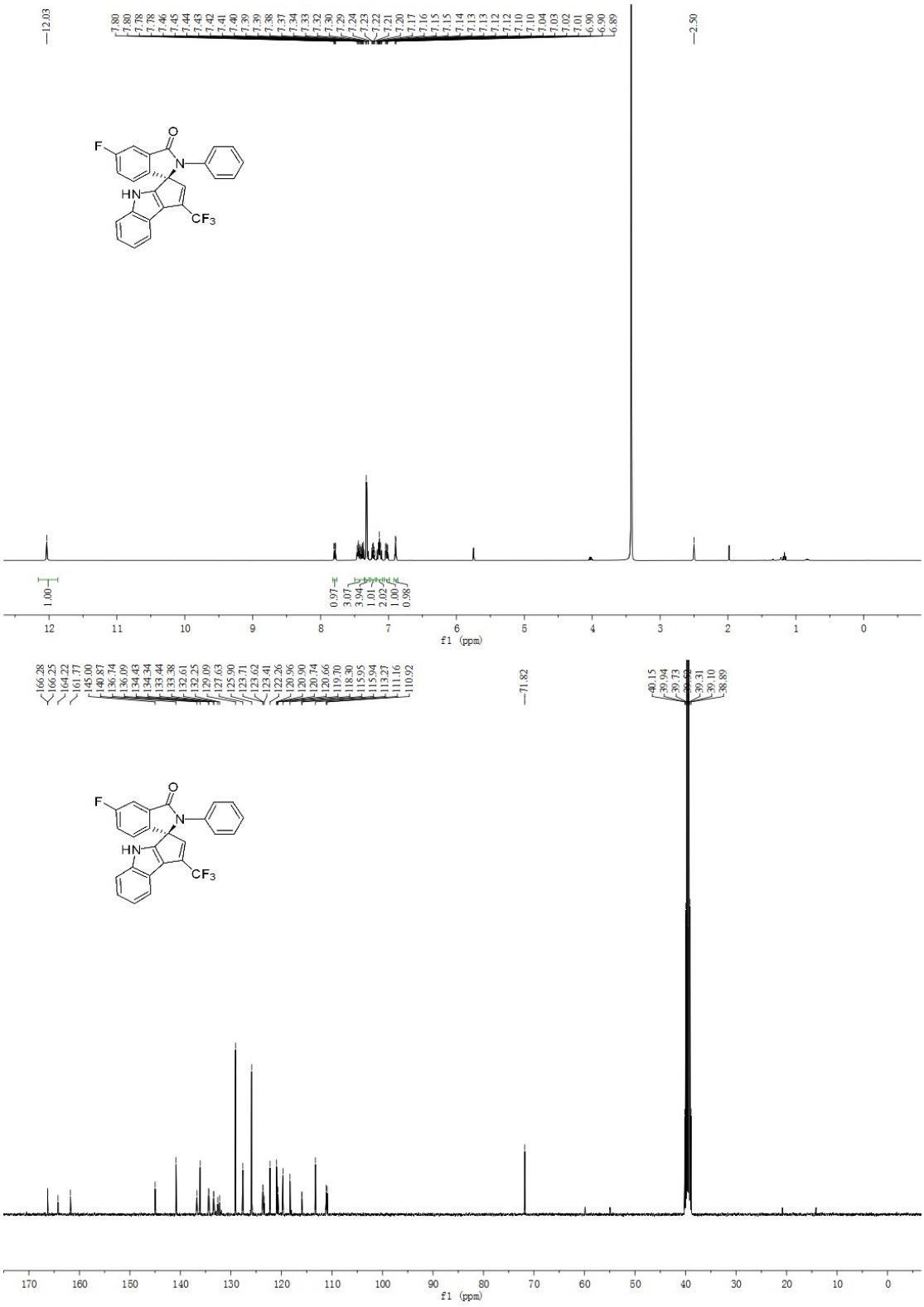
(R)-5'-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2o)



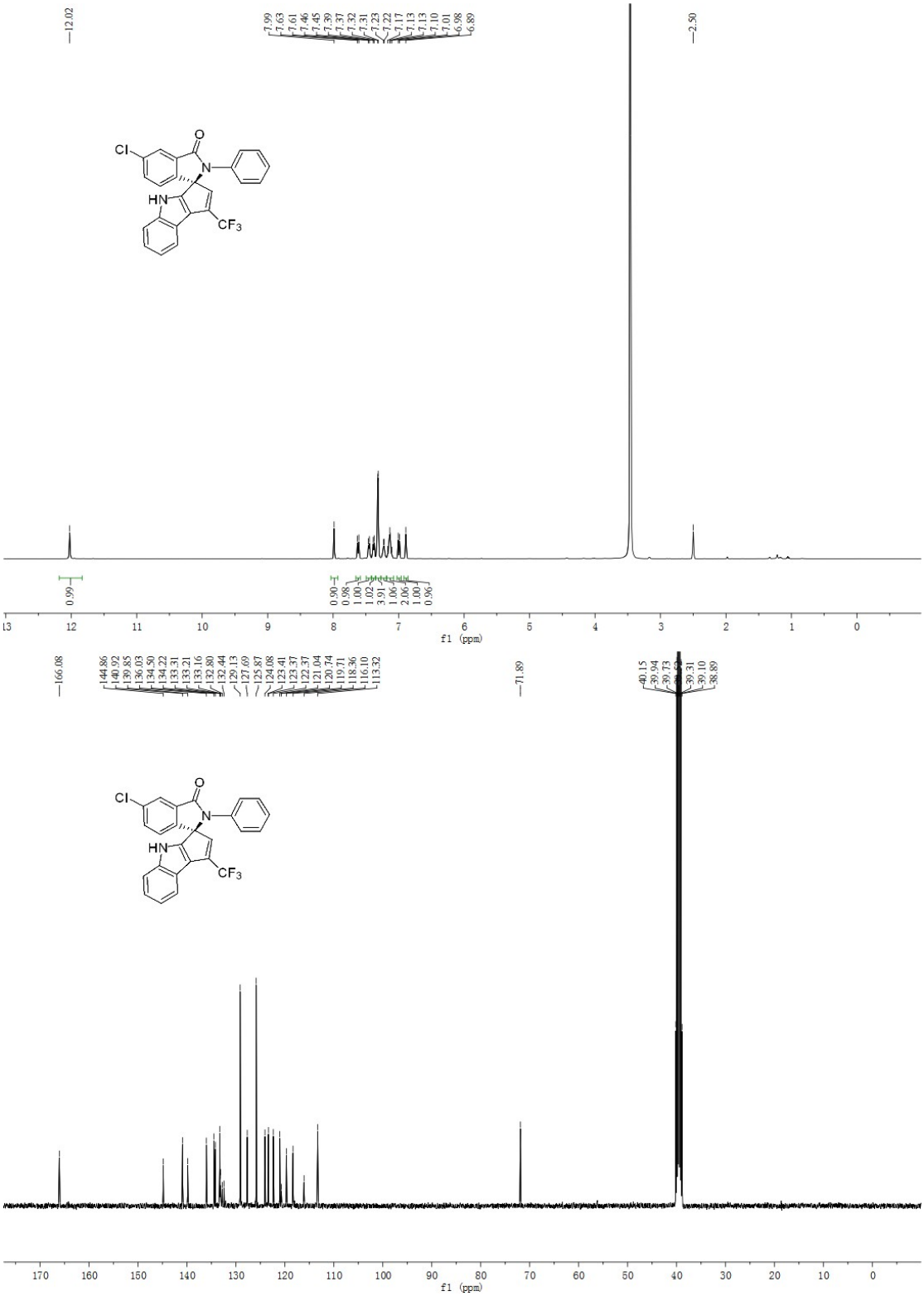
(R)-5'-methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2p)



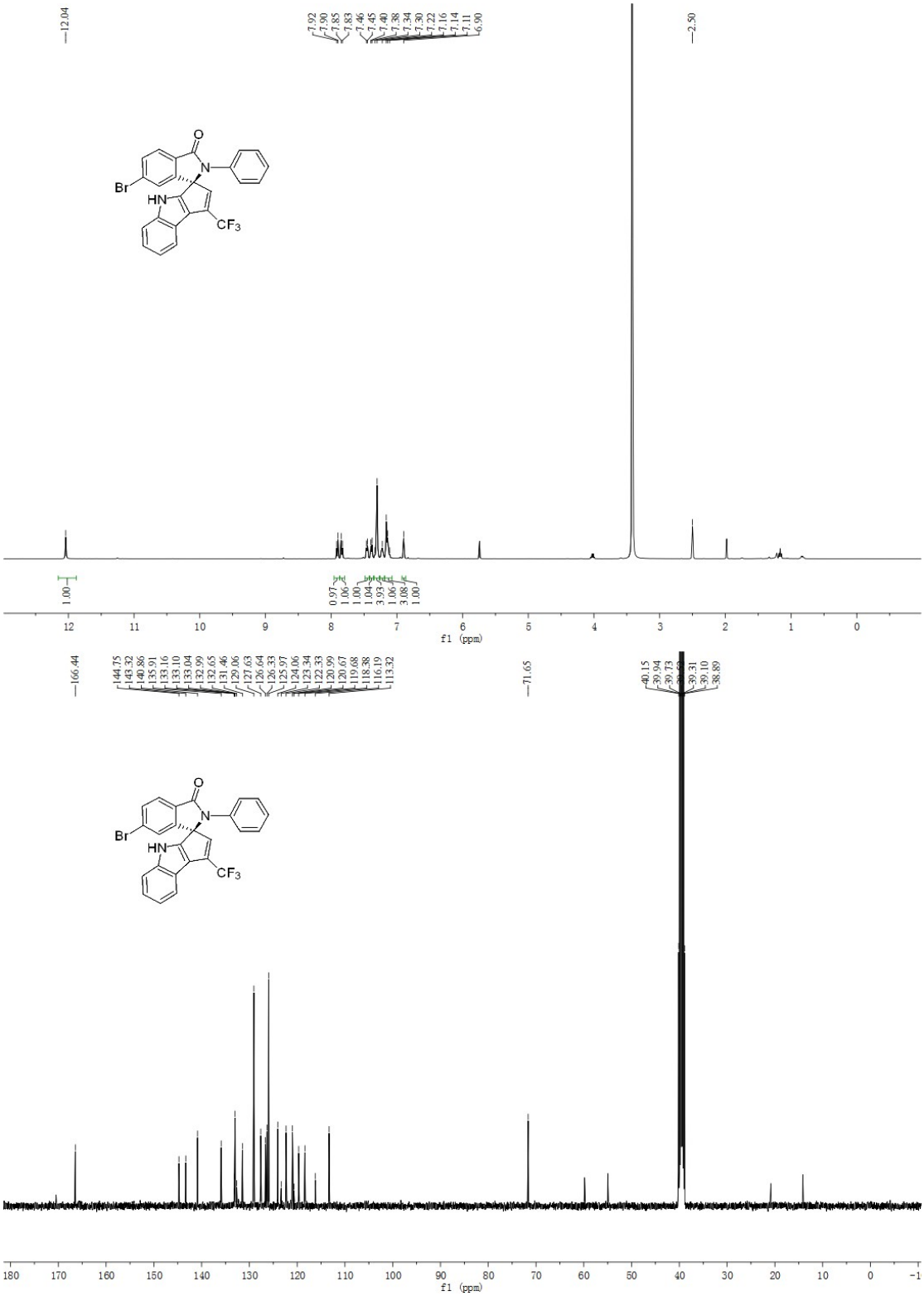
(R)-5'-fluoro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2q)



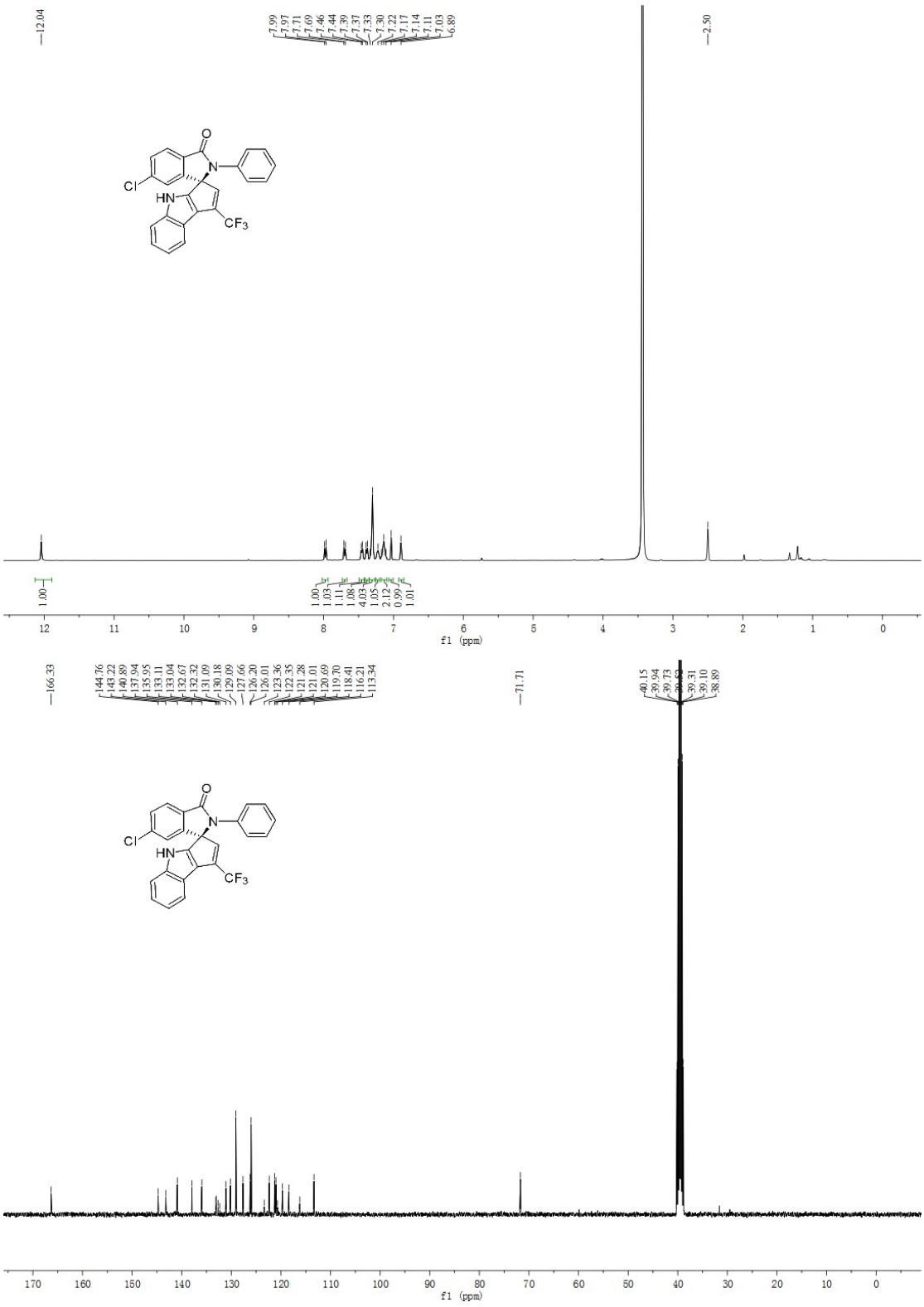
(R)-5'-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2r)



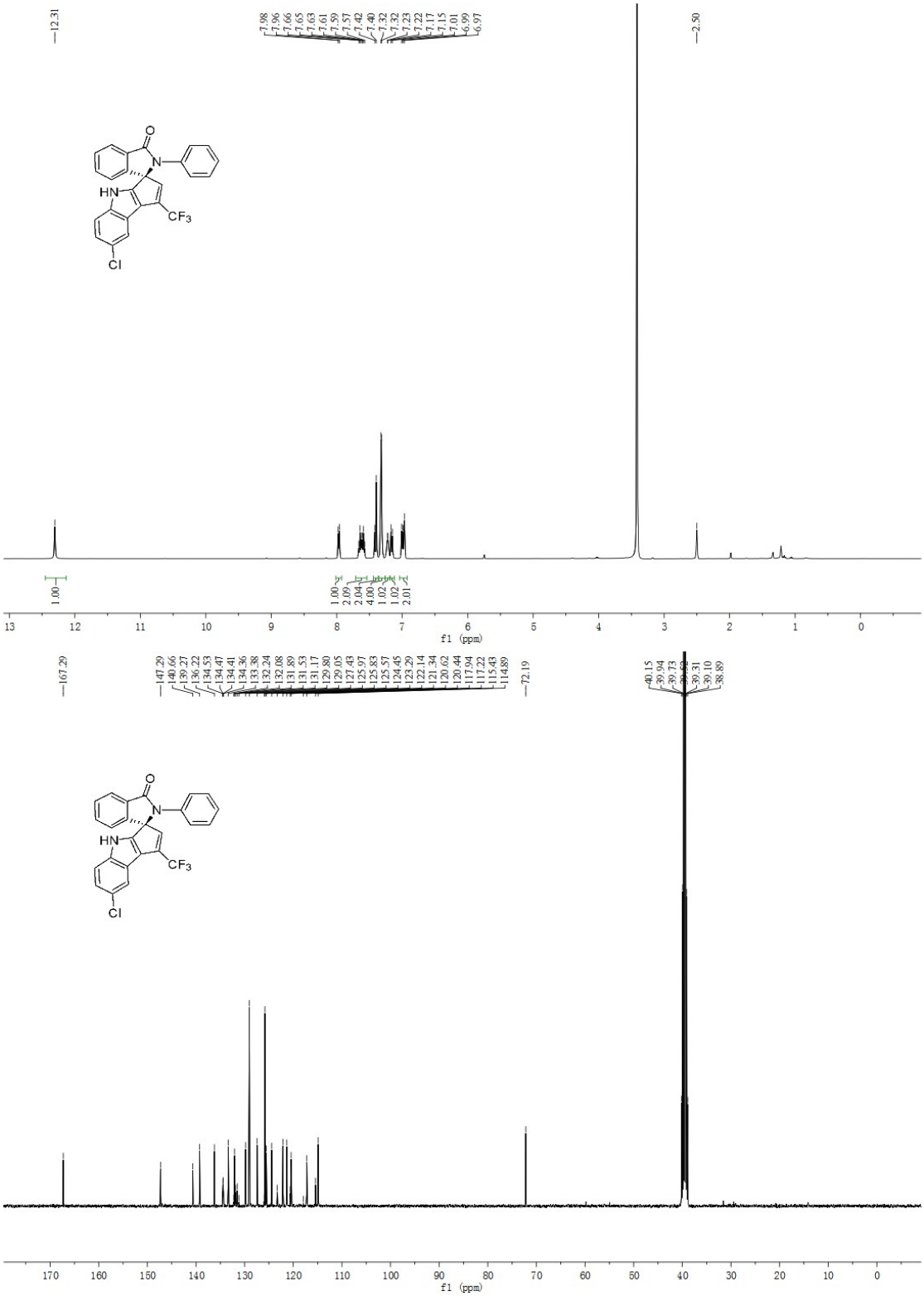
(R)-6'-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2s)



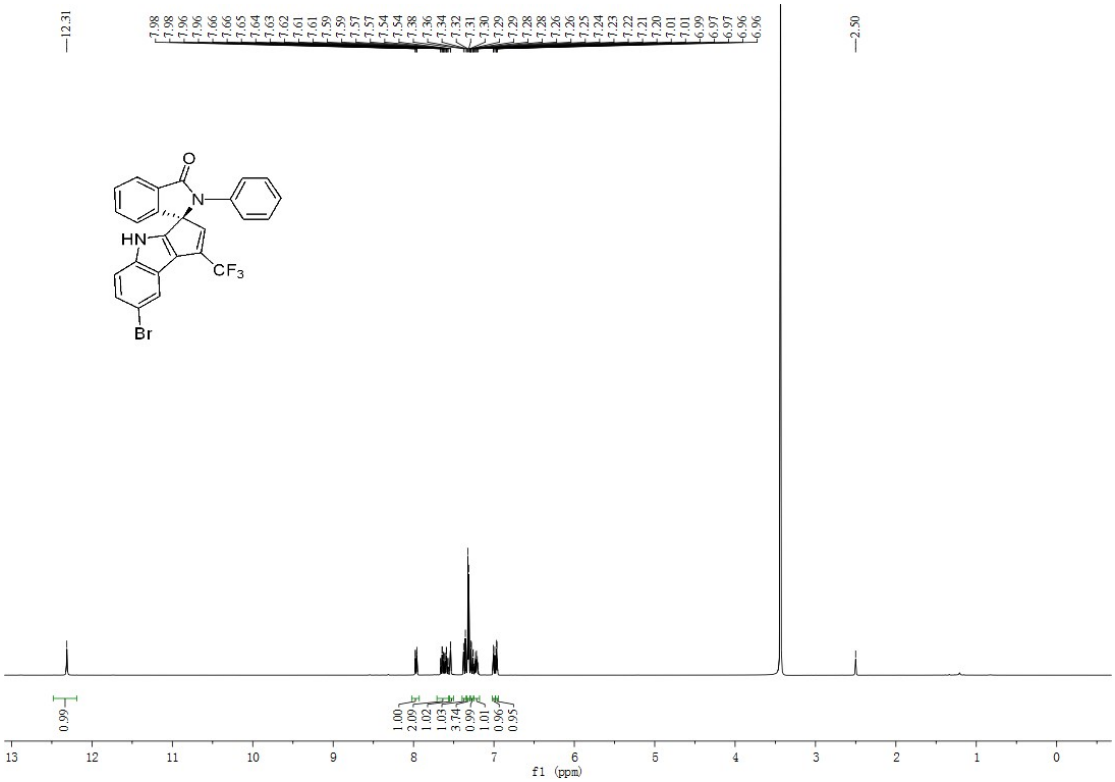
(R)-6'-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2t)

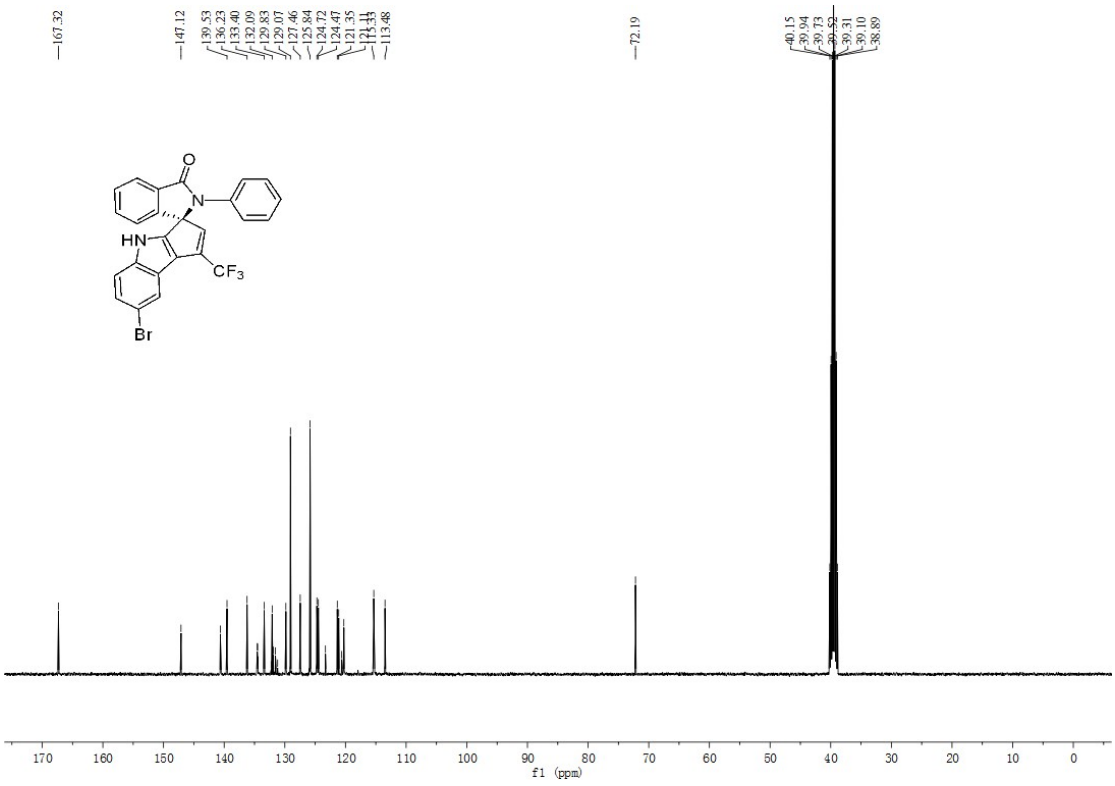


(R)-7-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2u)

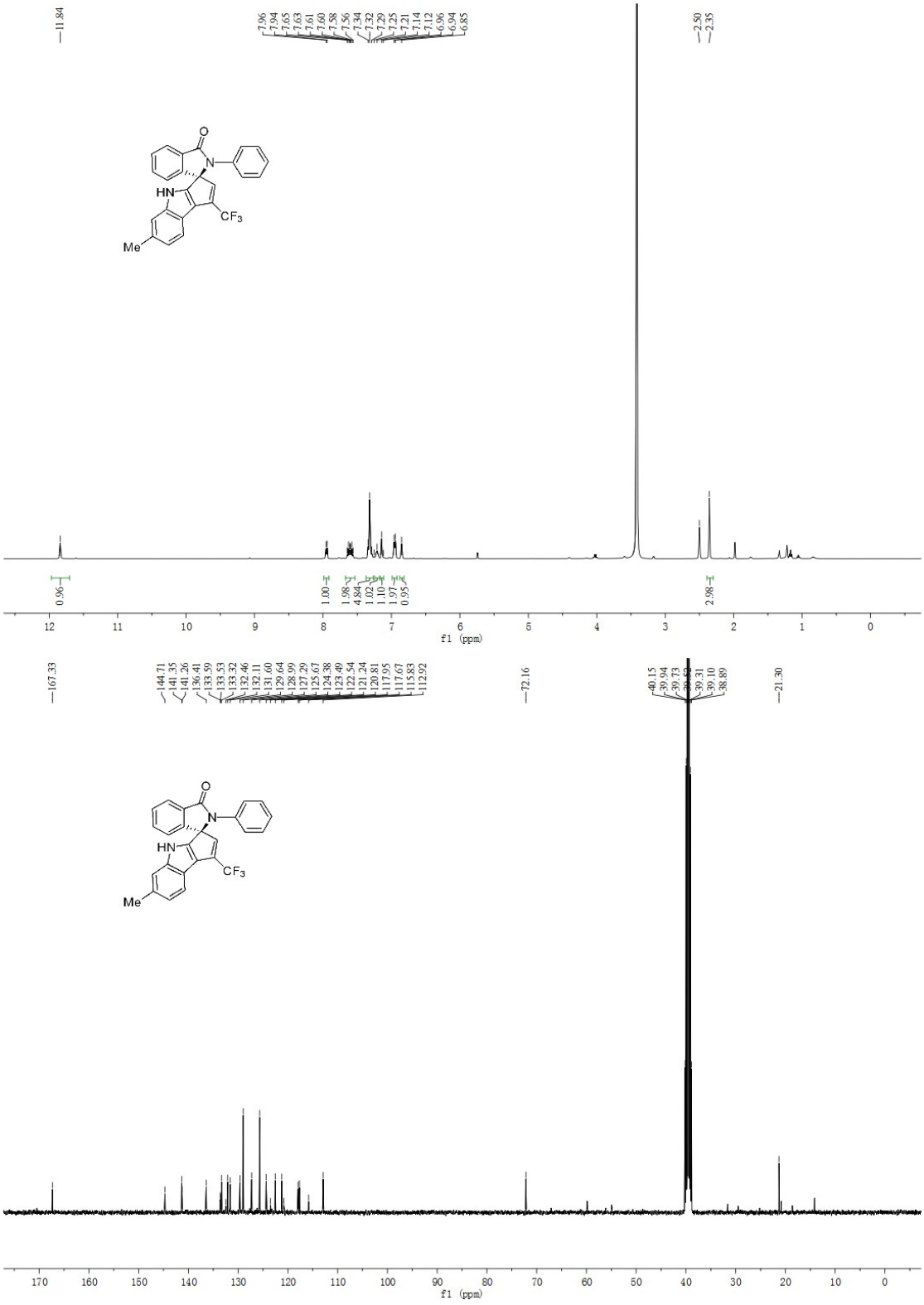


(R)-7-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2v)

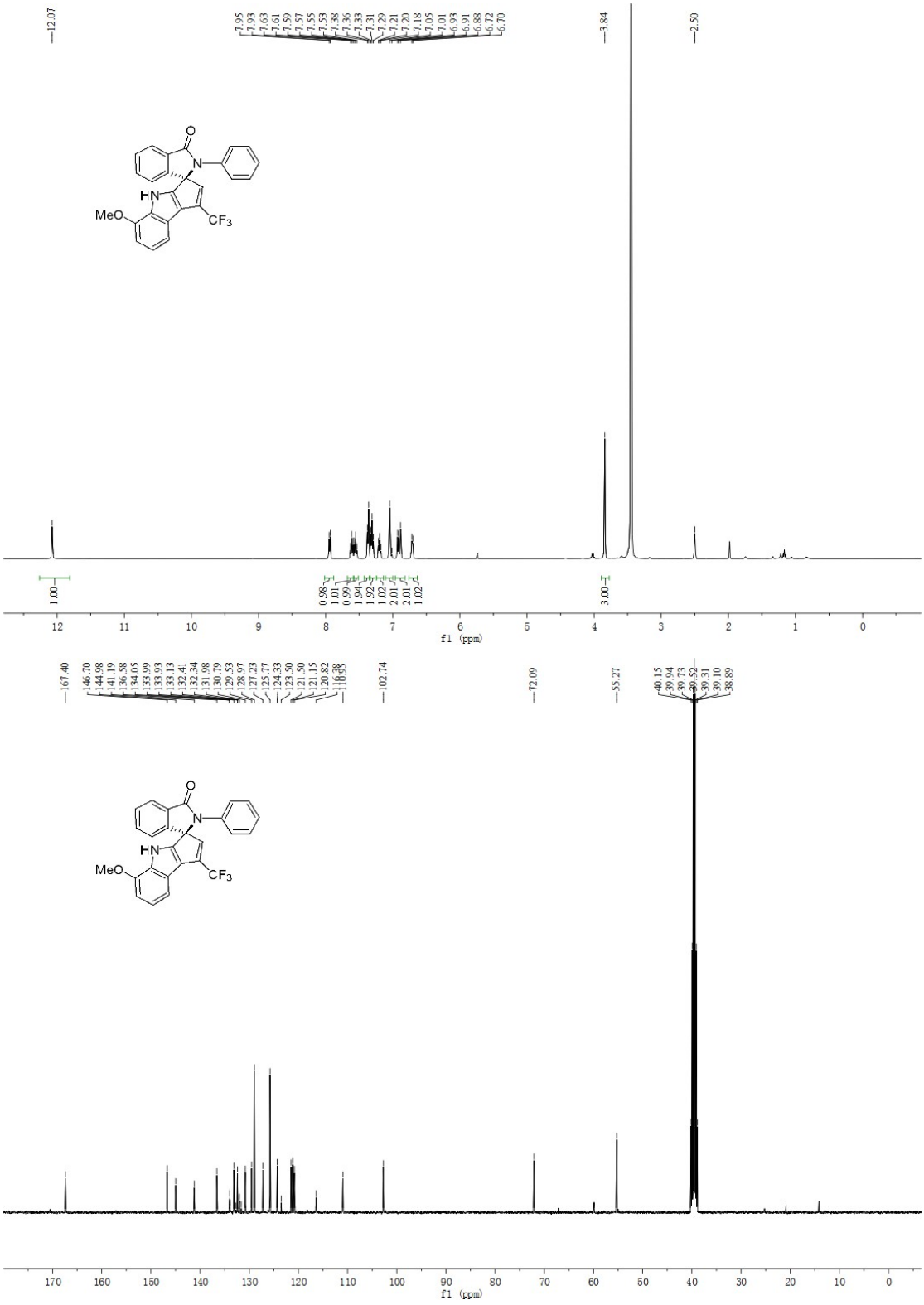




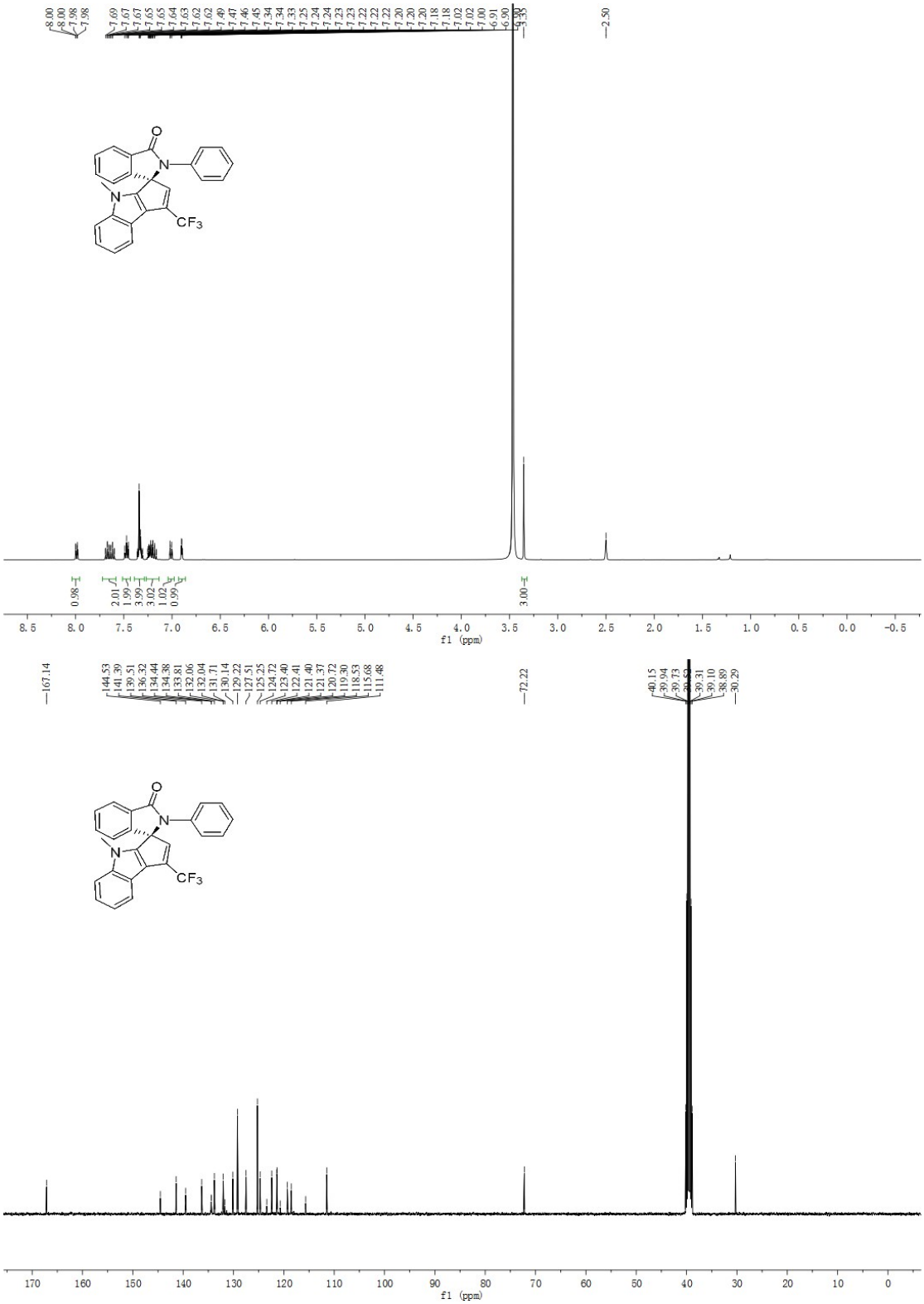
(R)-6-methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2w)



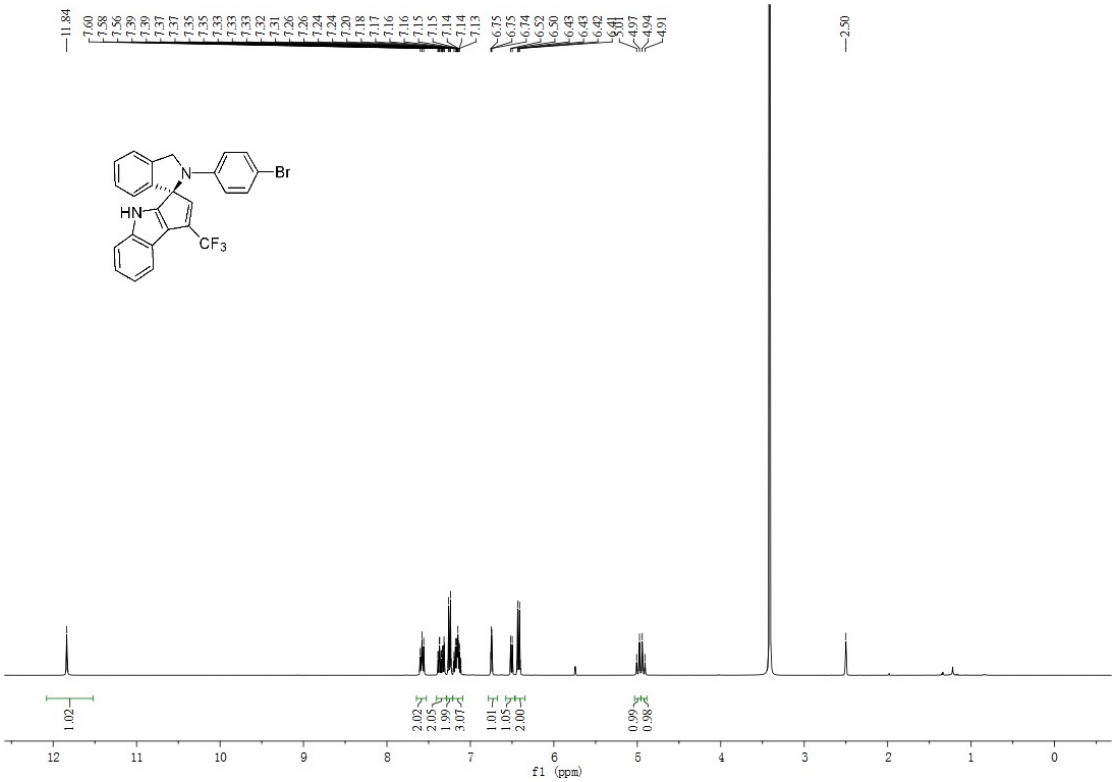
(R)-5-methoxy-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2x)

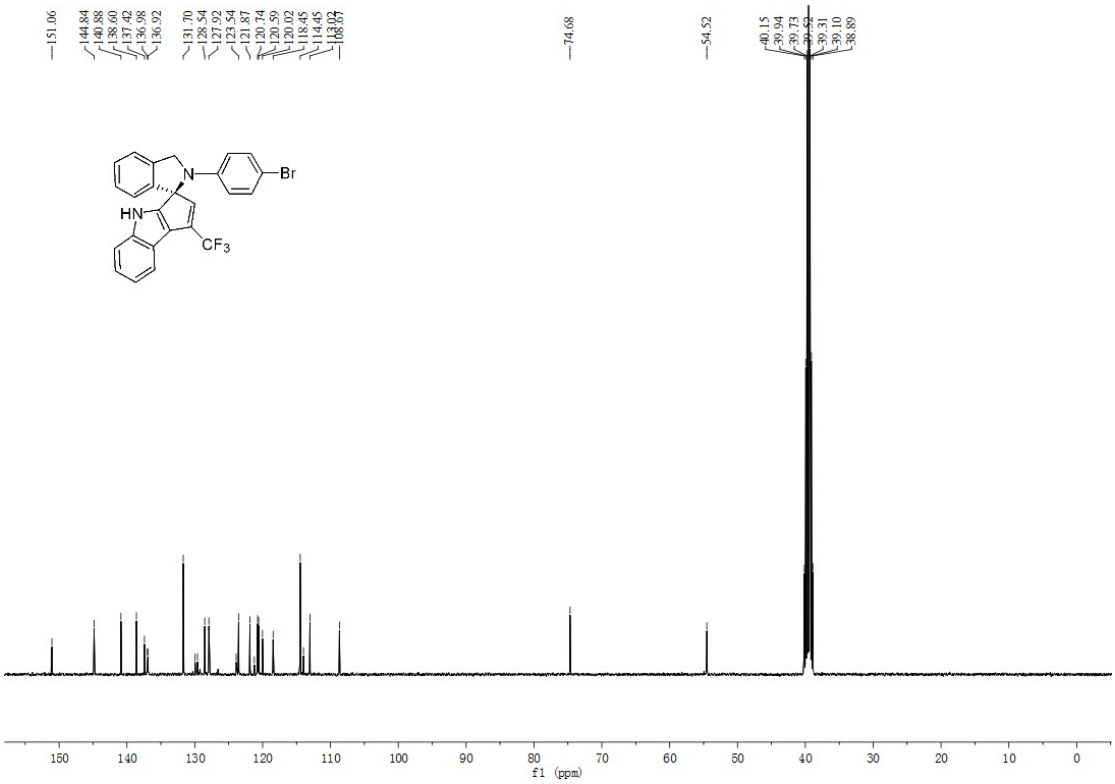


4-Methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (4)

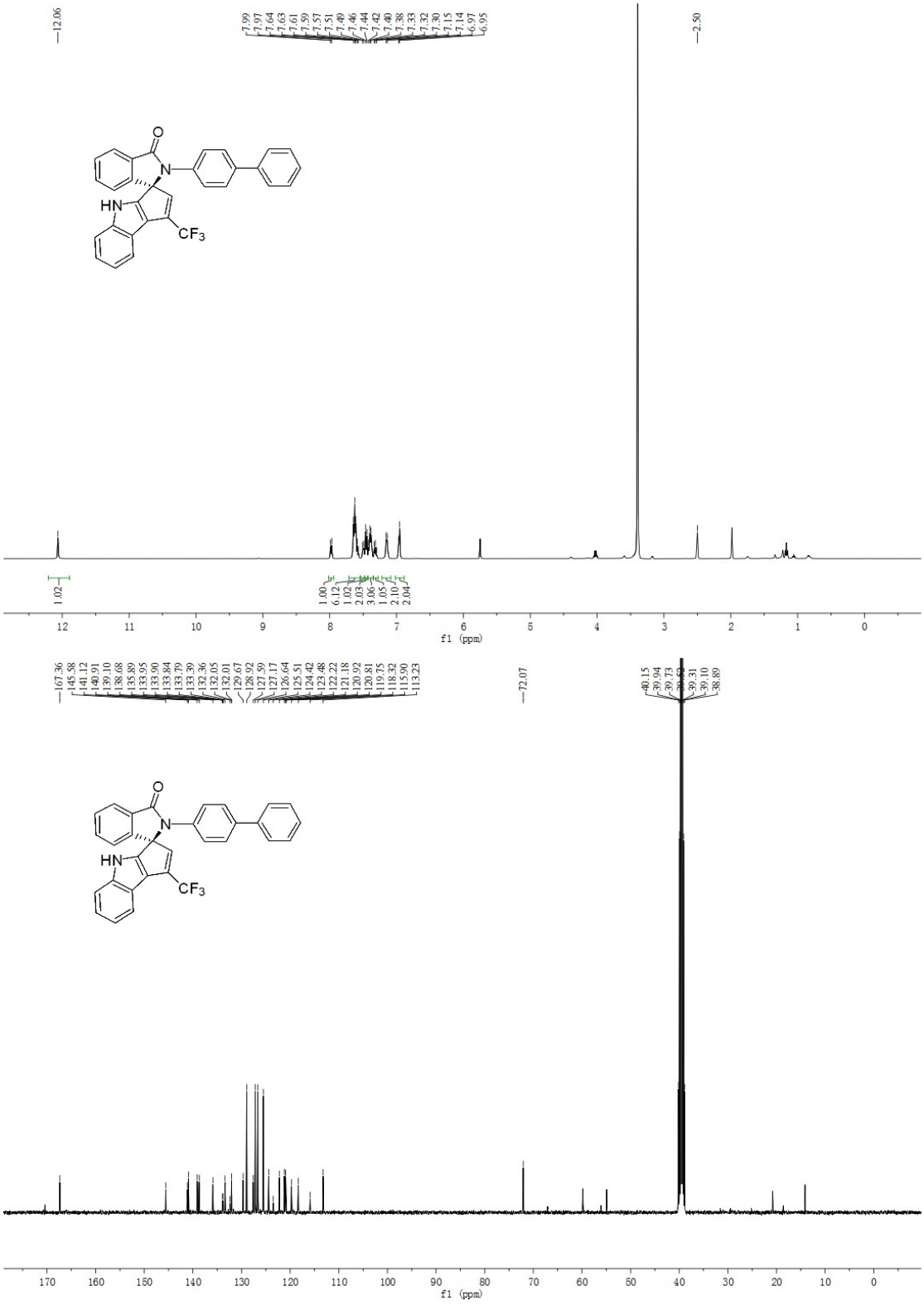


(R)-2'-(4-bromophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindoline] (6)



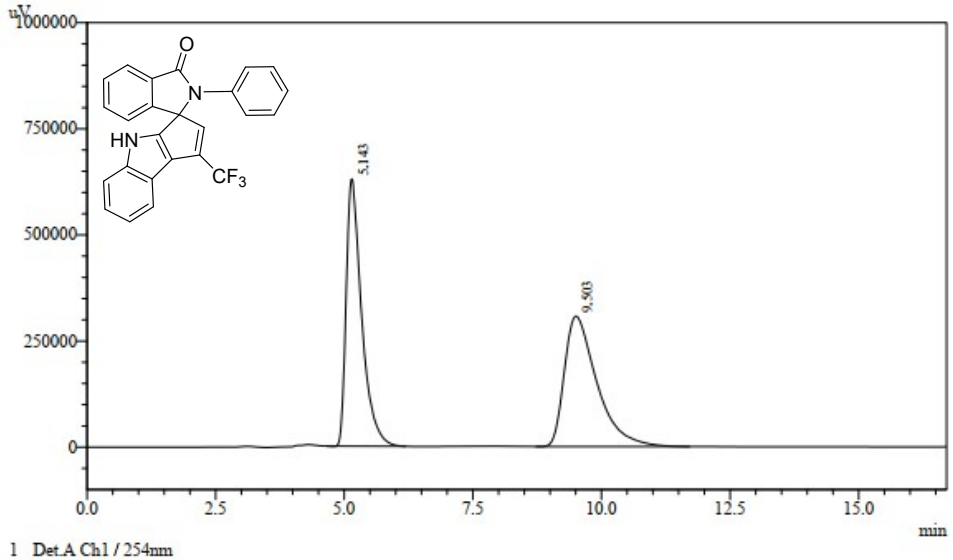


(R)-2'-([1,1'-biphenyl]-4-yl)-1-(trifluoromethyl)-4H-spiro[cyclopenta [b]indole-3,1'-isoindolin]-3'-one (7)



8. HPLC Charts of Products

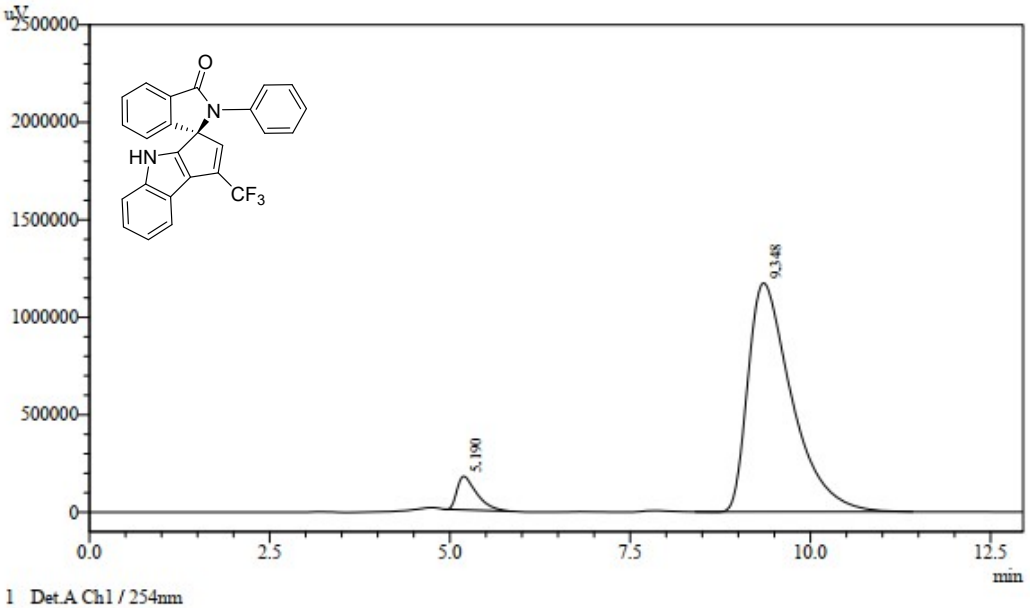
(R)-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2a)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.143	13095529	629595	49.257	67.223
2	9.503	13490788	306984	50.743	32.777
Total		26586317	936579	100.000	100.000

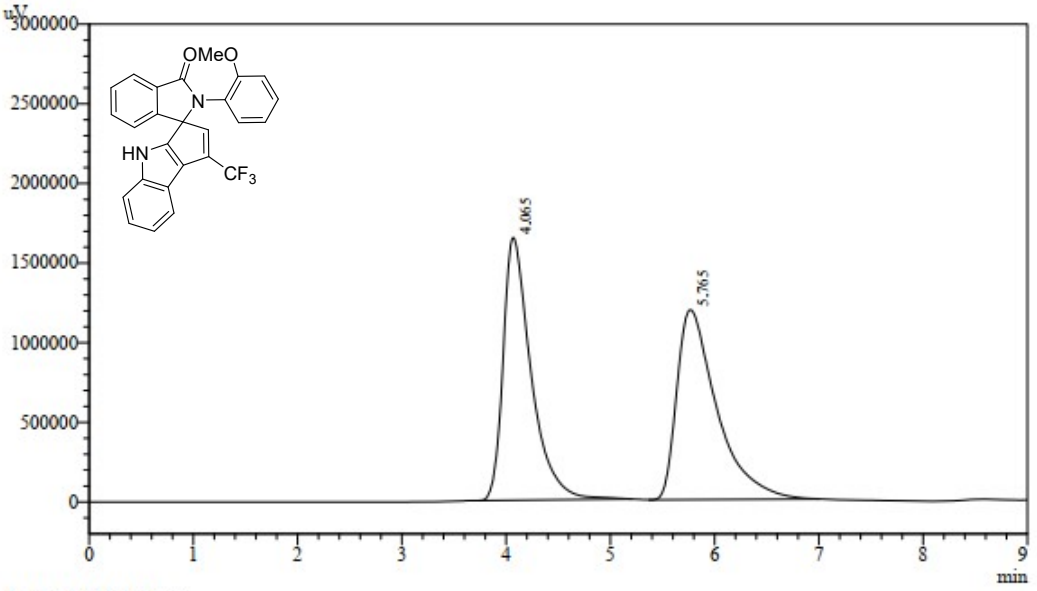


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.190	3250318	171983	6.152	12.785
2	9.348	49586113	1173237	93.848	87.215
Total		52836431	1345220	100.000	100.000

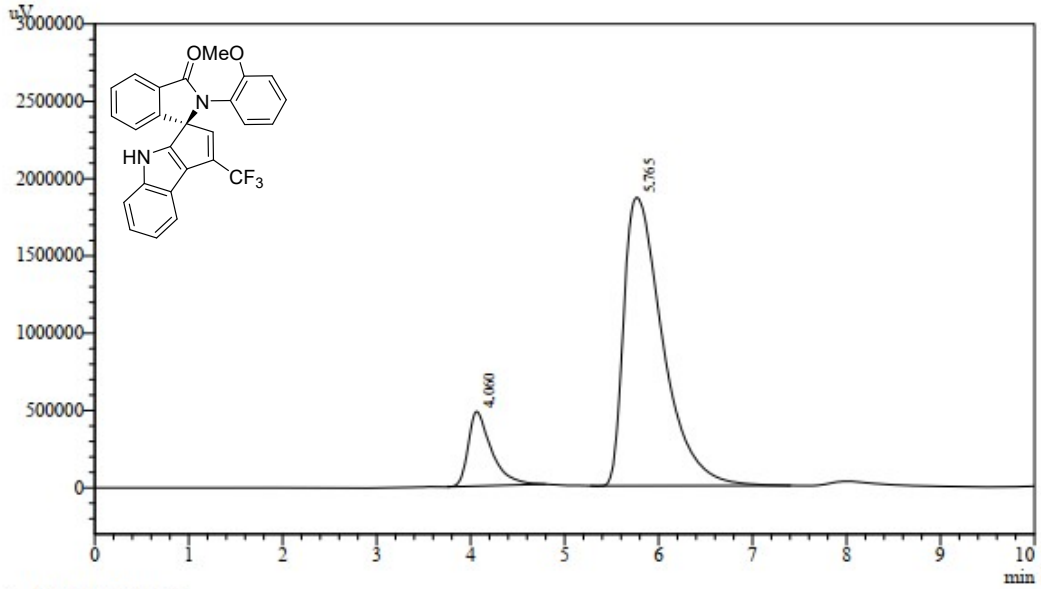
(R)-2'-(2-methoxyphenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2b)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.065	30738727	1648881	49.090	58.021
2	5.765	31878306	1192973	50.910	41.979
Total		62617033	2841854	100.000	100.000

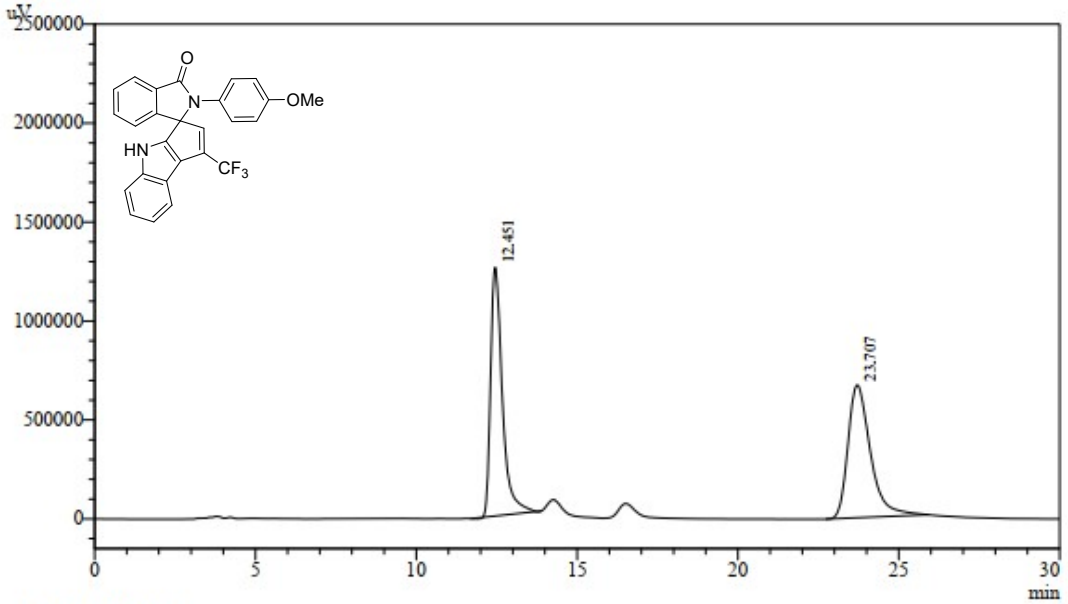


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	4.060	8271829	482366	13.295	20.553
2	5.765	53945818	1864562	86.705	79.447
Total		62217647	2346927	100.000	100.000

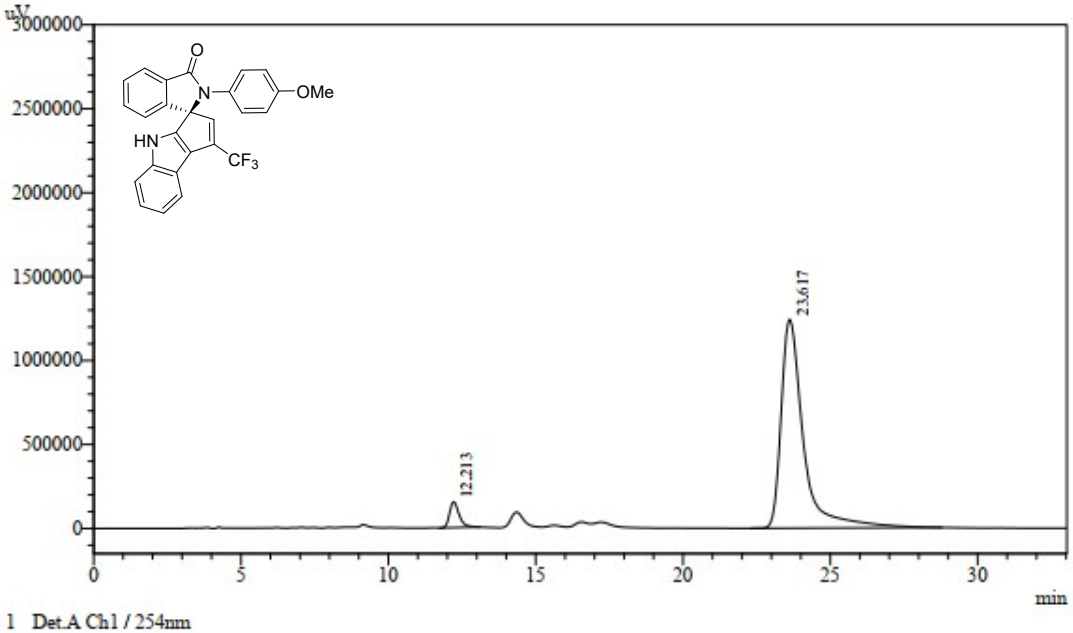
(R)-2'-(4-methoxyphenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2c)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.451	31956379	1256347	49.488	65.196
2	23.707	32617772	670686	50.512	34.804
Total		64574151	1927033	100.000	100.000

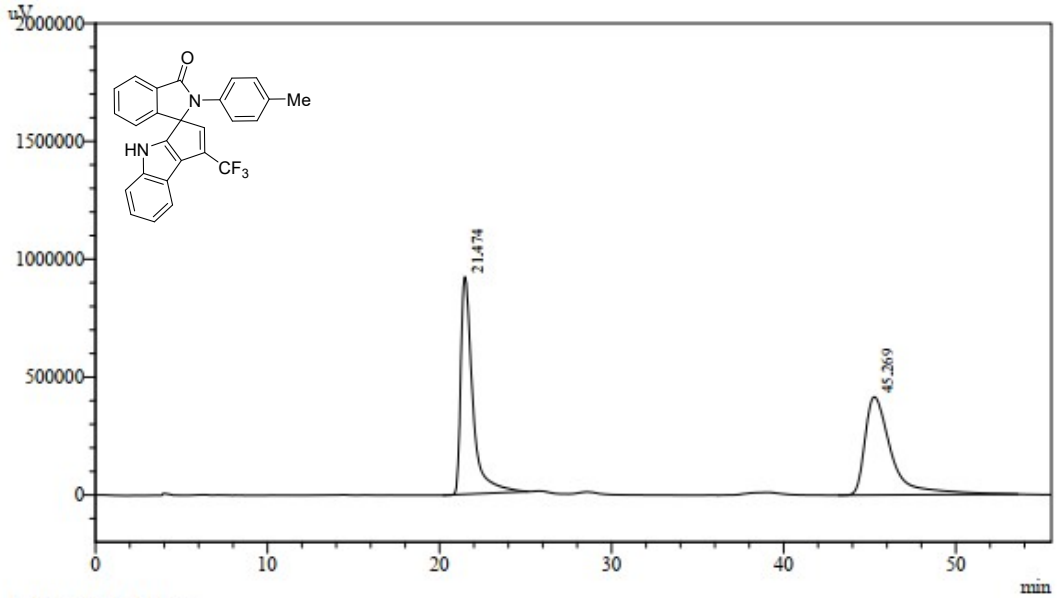


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.213	3510553	153930	5.098	11.019
2	23.617	65349241	1243037	94.902	88.981
Total		68859795	1396966	100.000	100.000

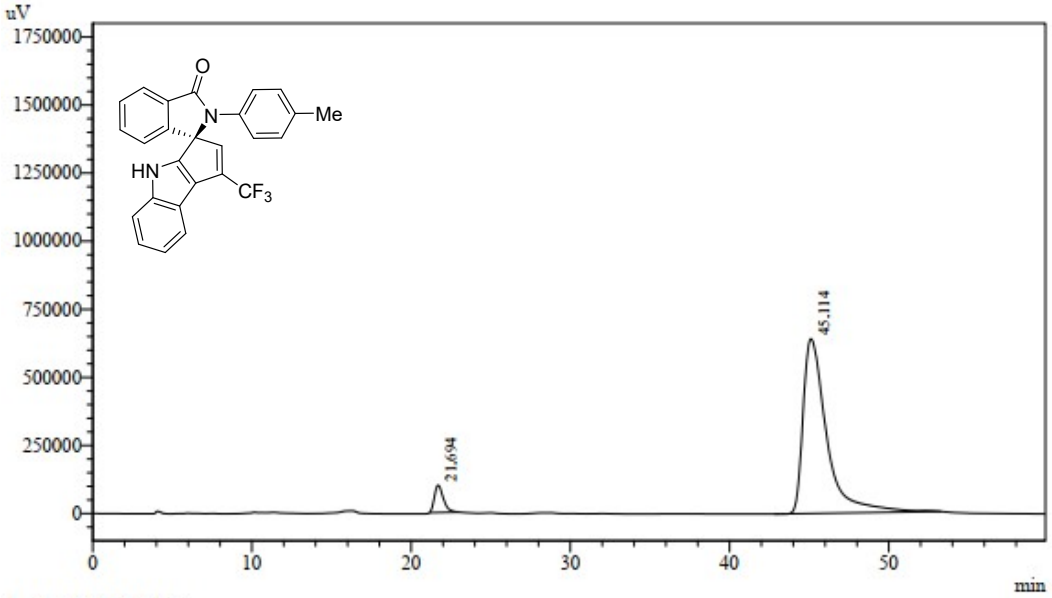
(R)-2'-(p-tolyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2d)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.474	44584011	922724	49.983	68.908
2	45.269	44614378	416344	50.017	31.092
Total		89198389	1339069	100.000	100.000

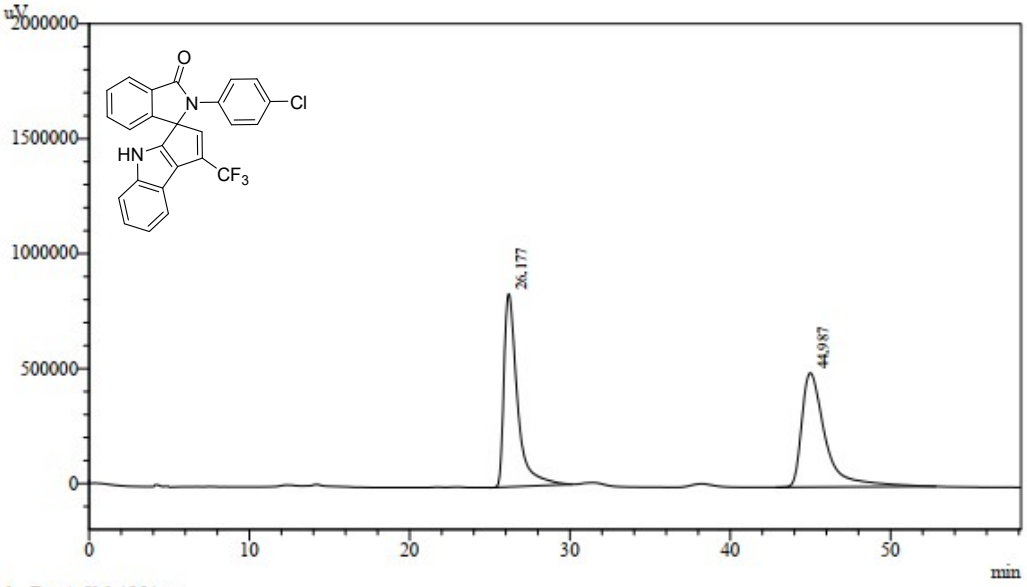


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.694	3905642	100455	5.555	13.544
2	45.114	66400281	641258	94.445	86.456
Total		70305922	741713	100.000	100.000

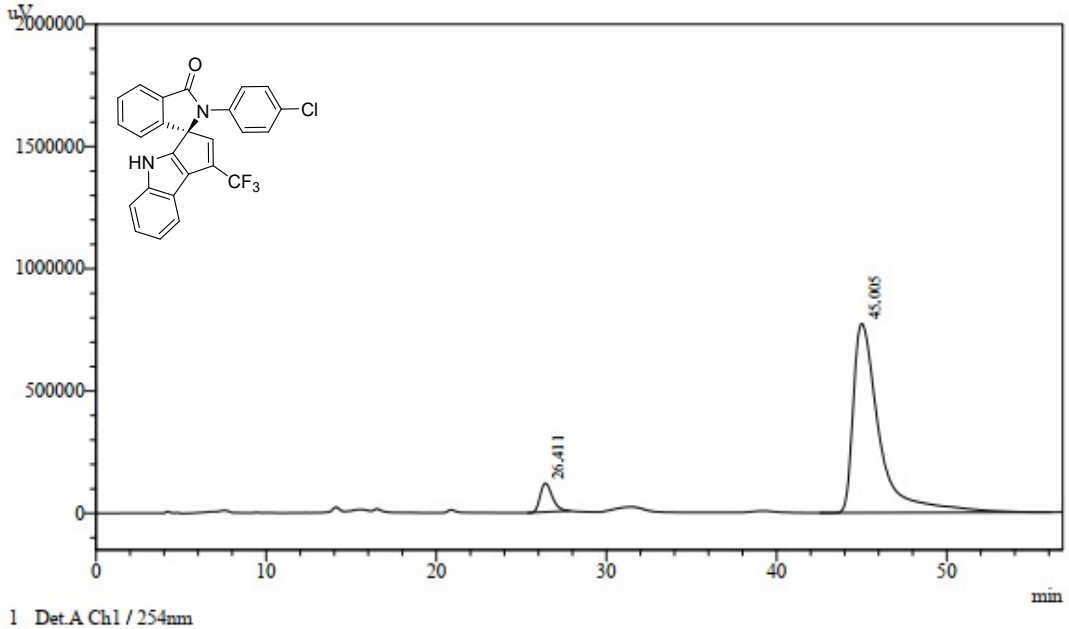
(R)-2'-(4-chlorophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2e)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.177	49275738	839476	49.045	62.843
2	44.987	51194444	496362	50.955	37.157
Total		100470182	1335838	100.000	100.000

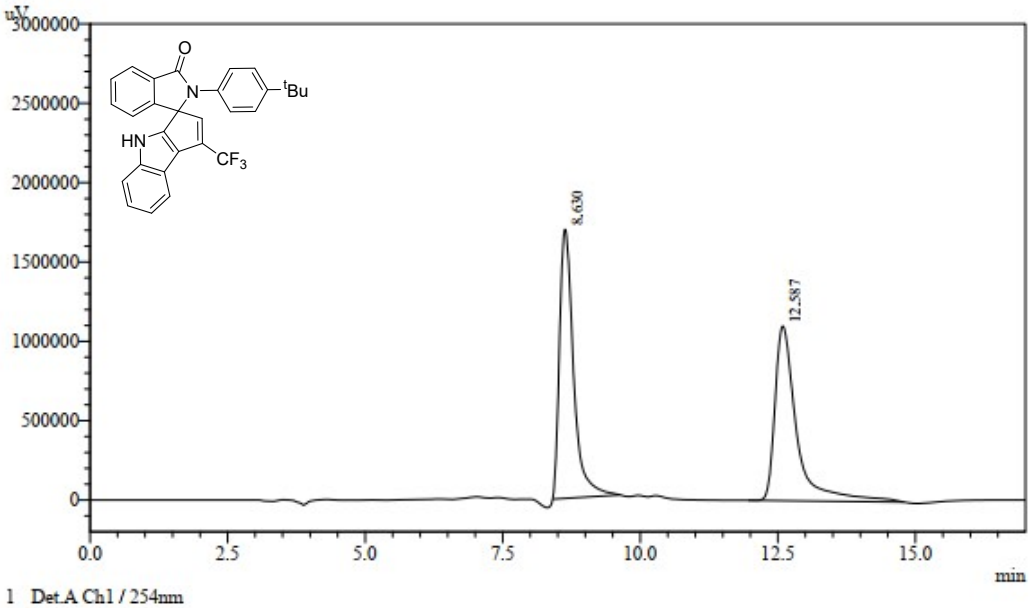


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.411	5846609	117459	6.582	13.183
2	45.005	82986272	773537	93.418	86.817
Total		88832881	890995	100.000	100.000

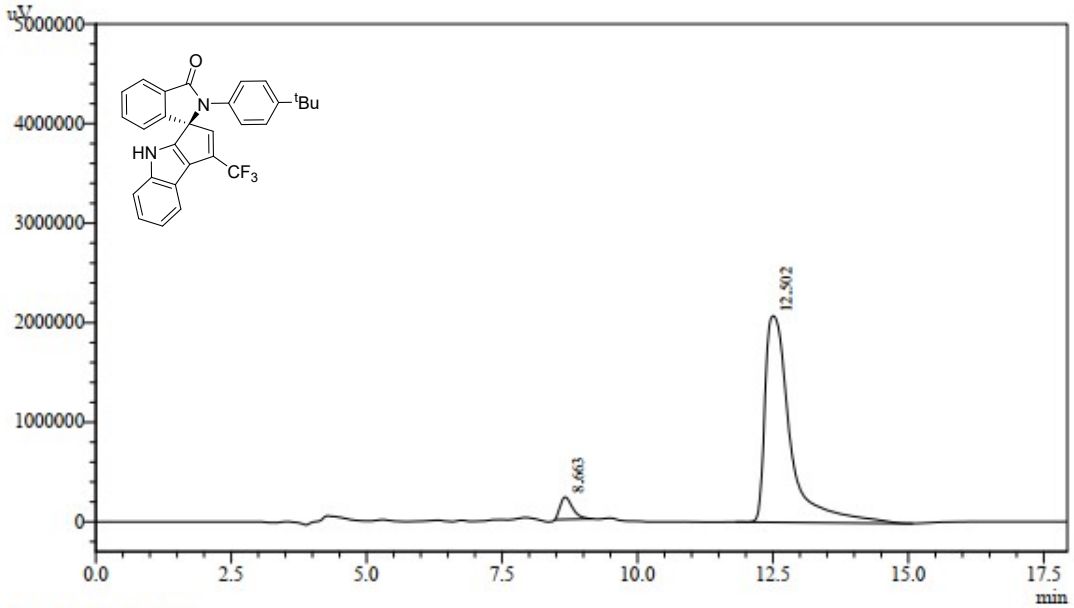
(R)-2'-(4-(tert-butyl)phenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2f)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.630	30089291	1695291	49.864	60.647
2	12.587	30253299	1100040	50.136	39.353
Total		60342590	2795331	100.000	100.000

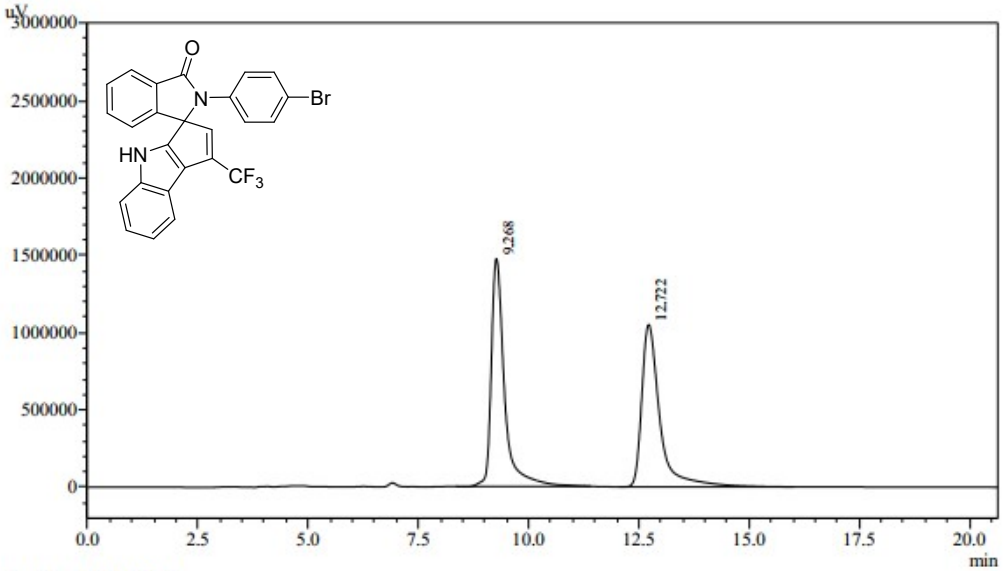


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.663	3580101	224780	5.035	9.782
2	12.502	67526732	2073153	94.965	90.218
Total		71106832	2297933	100.000	100.000

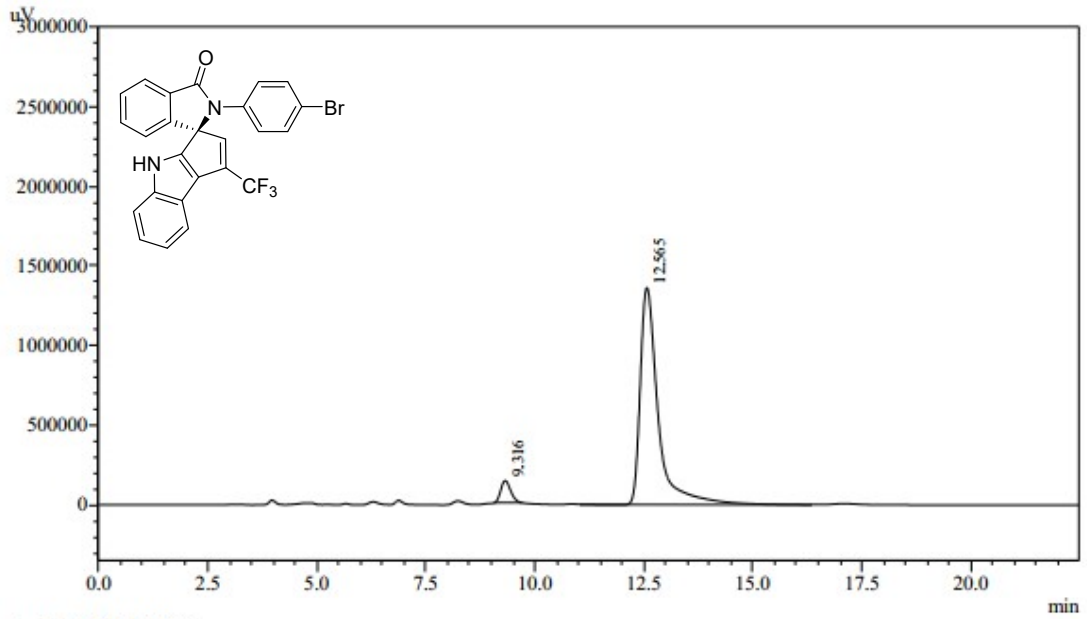
(R)-2'-(4-bromophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2g)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.268	30840754	1472927	50.583	58.406
2	12.722	30130085	1048960	49.417	41.594
Total		60970839	2521887	100.000	100.000

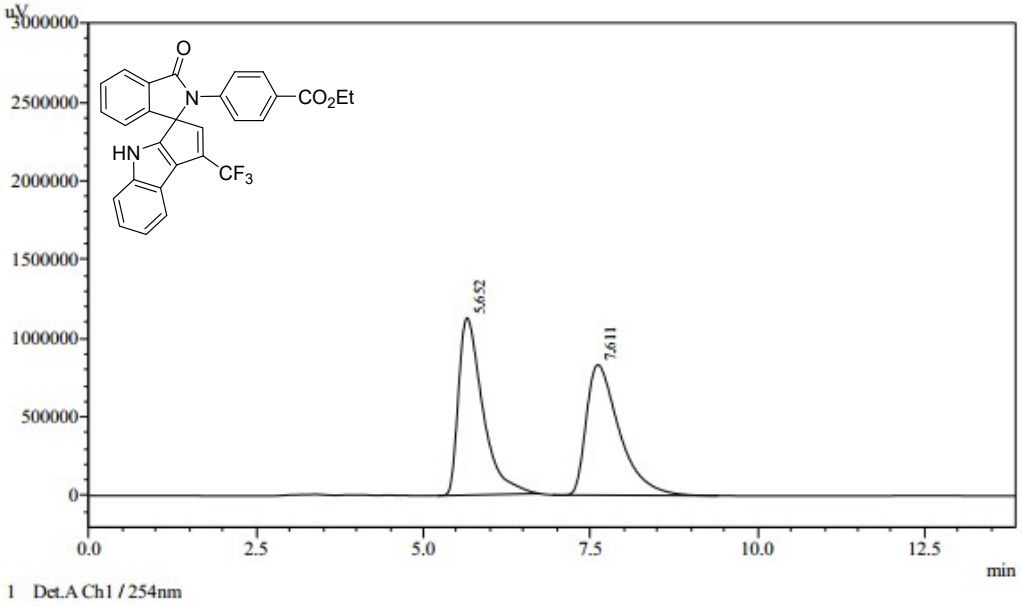


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.316	2153351	137617	5.243	9.178
2	12.565	38920425	1361742	94.757	90.822
Total		41073776	1499359	100.000	100.000

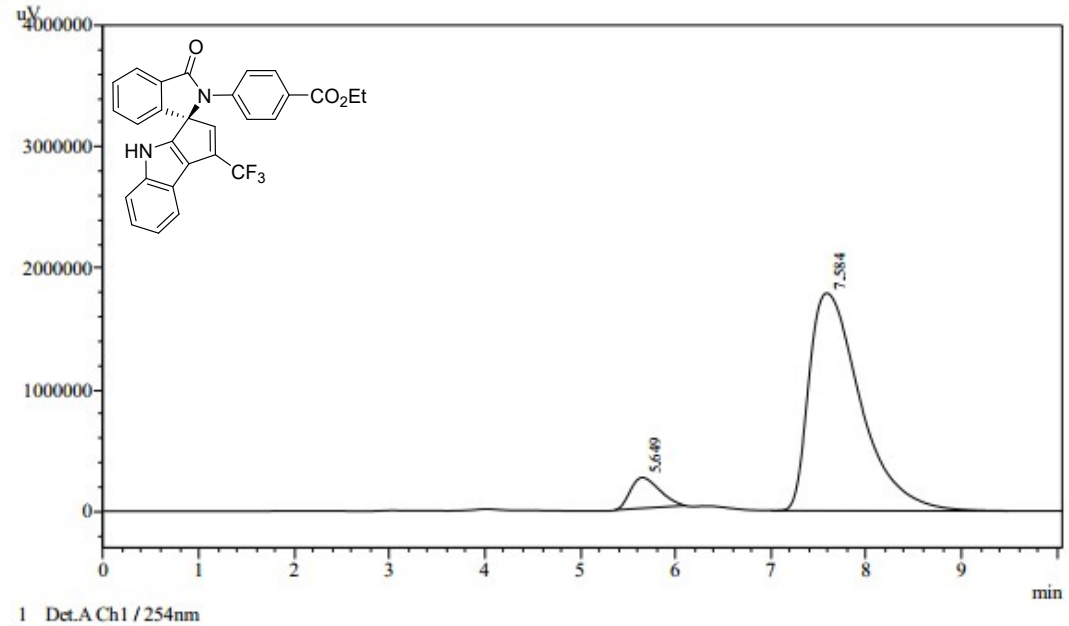
Ethyl (R)-4-(3'-oxo-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole- 3,1'-isoindolin]-2'-yl)benzoate (2h)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.652	28438237	1123804	49.869	57.592
2	7.611	28588014	827504	50.131	42.408
Total		57026251	1951307	100.000	100.000

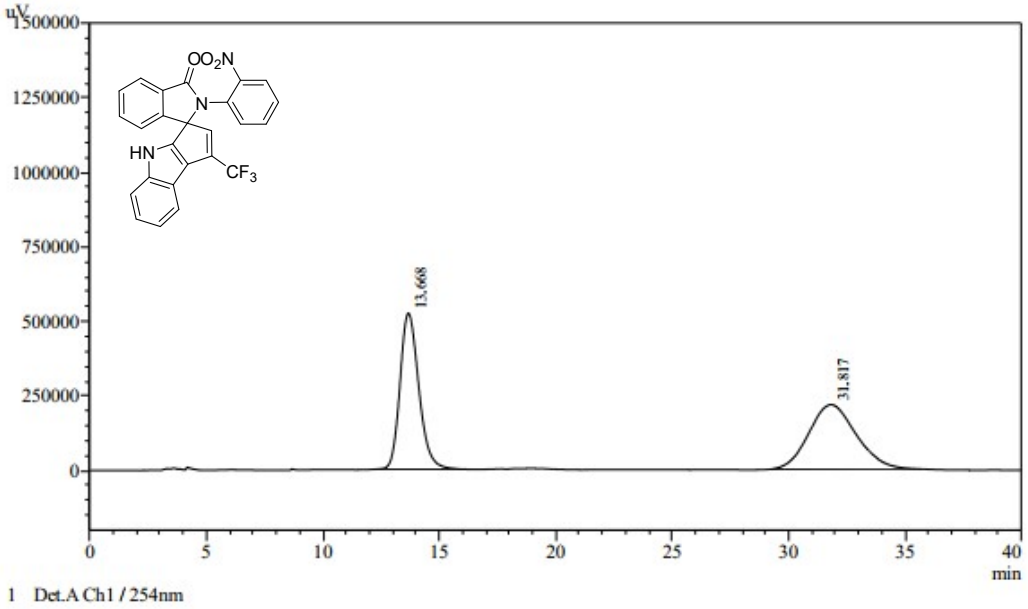


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

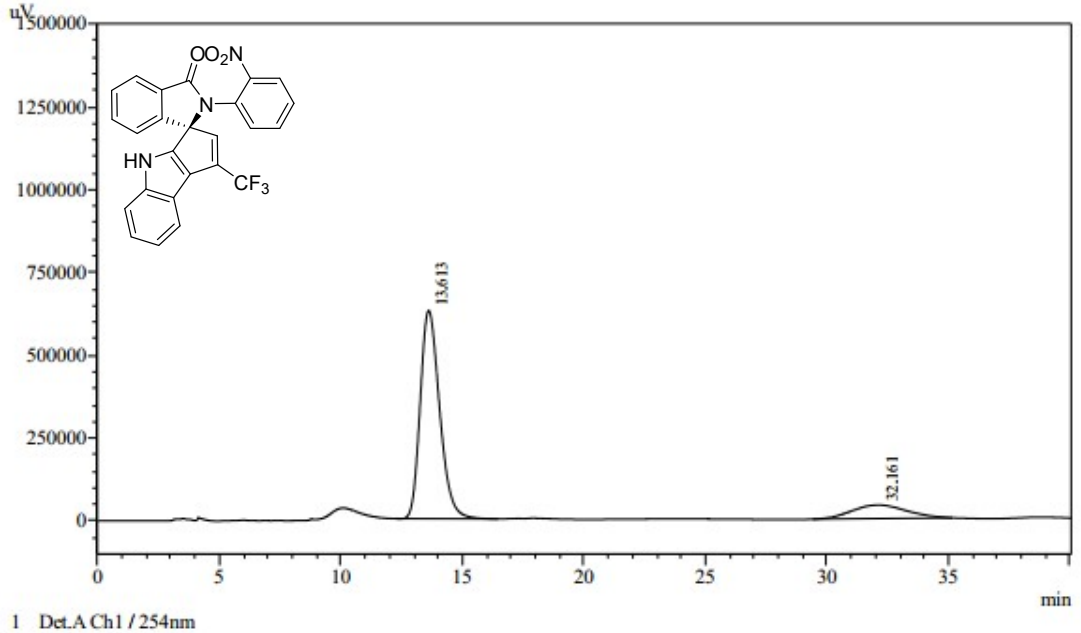
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.649	5347402	253212	7.373	12.387
2	7.584	67184291	1790993	92.627	87.613
Total		72531692	2044205	100.000	100.000

(R)-2'-(2-nitrophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2i)



Detector A Ch1 254nm

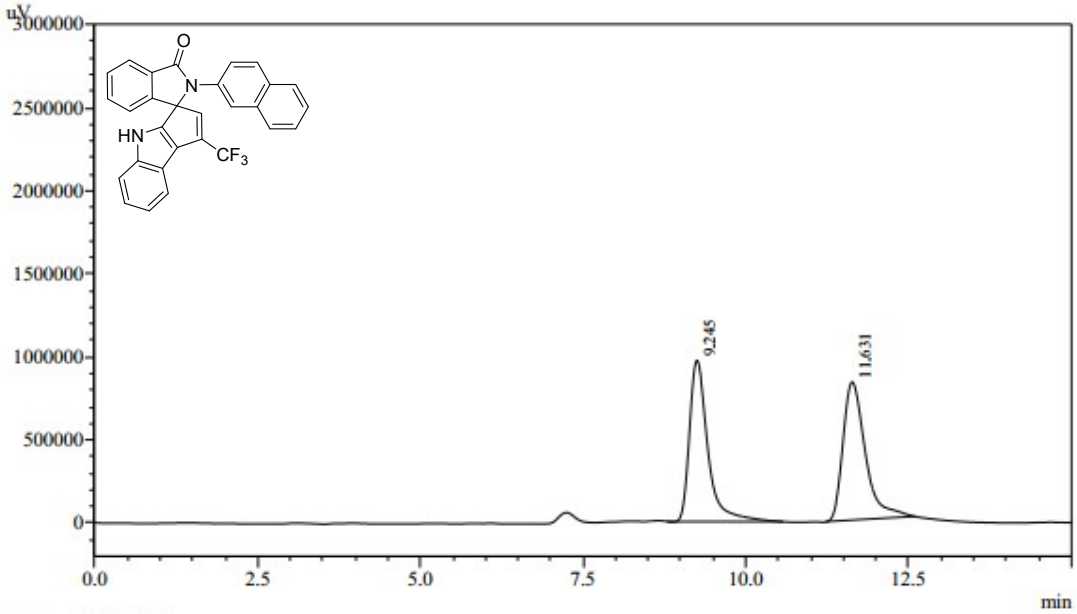
Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.668	29470790	523199	49.109	70.753
2	31.817	30540323	216276	50.891	29.247
Total		60011113	739476	100.000	100.000



Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.613	34963141	628836	84.598	93.889
2	32.161	6365355	40930	15.402	6.111
Total		41328495	669766	100.000	100.000

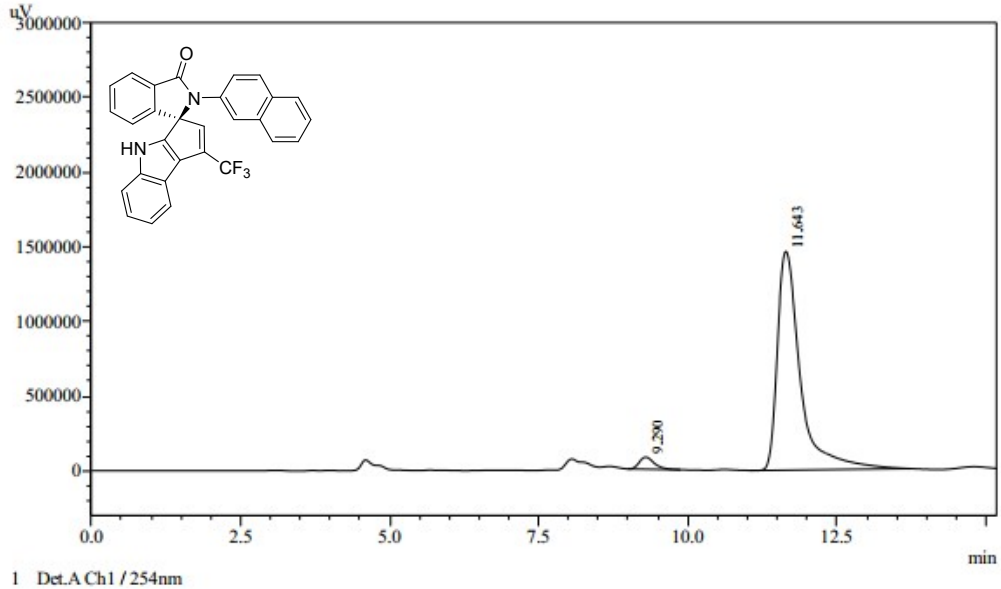
(R)-2'-(naphthalen-2-yl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2j)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.245	19170886	969979	49.097	53.848
2	11.631	19876388	831338	50.903	46.152
Total		39047274	1801318	100.000	100.000

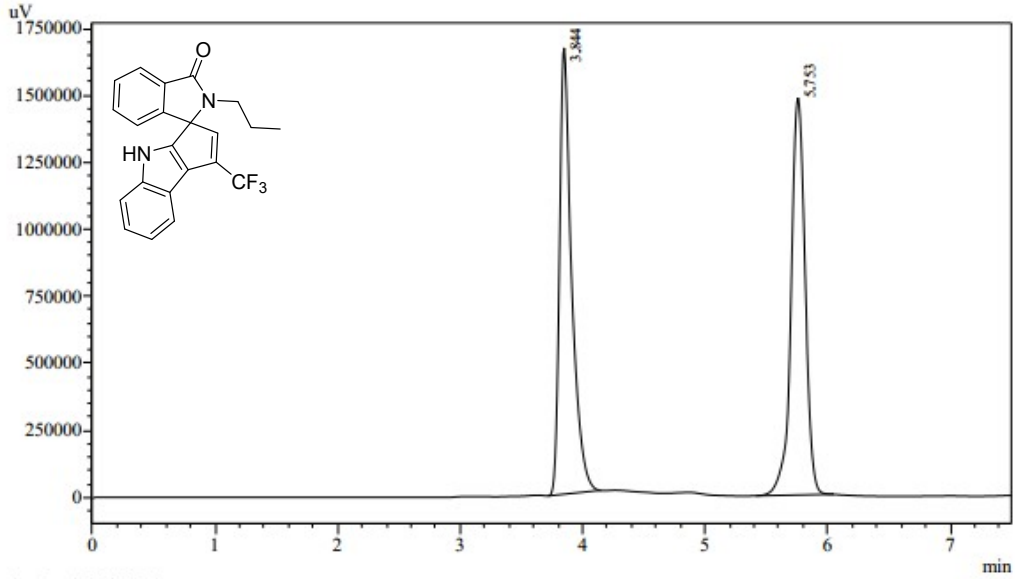


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.290	1417517	80752	3.479	5.226
2	11.643	39329219	1464455	96.521	94.774
Total		40746736	1545207	100.000	100.000

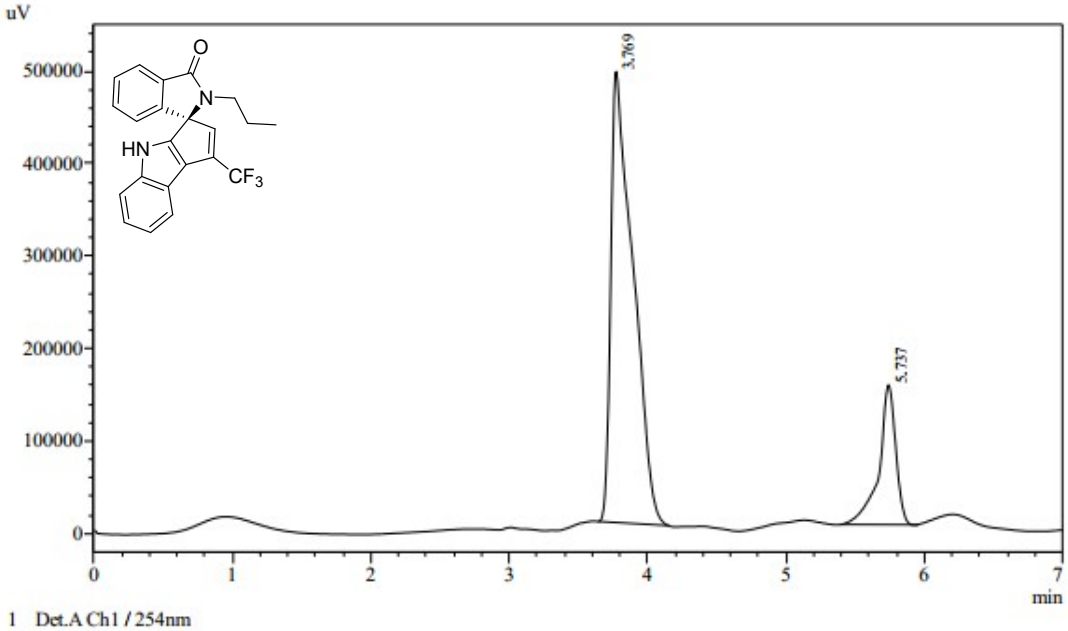
(R)-2'-propyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2k)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	3.844	11539015	1664343	49.338	52.921
2	5.753	11848826	1480616	50.662	47.079
Total		23387842	3144959	100.000	100.000

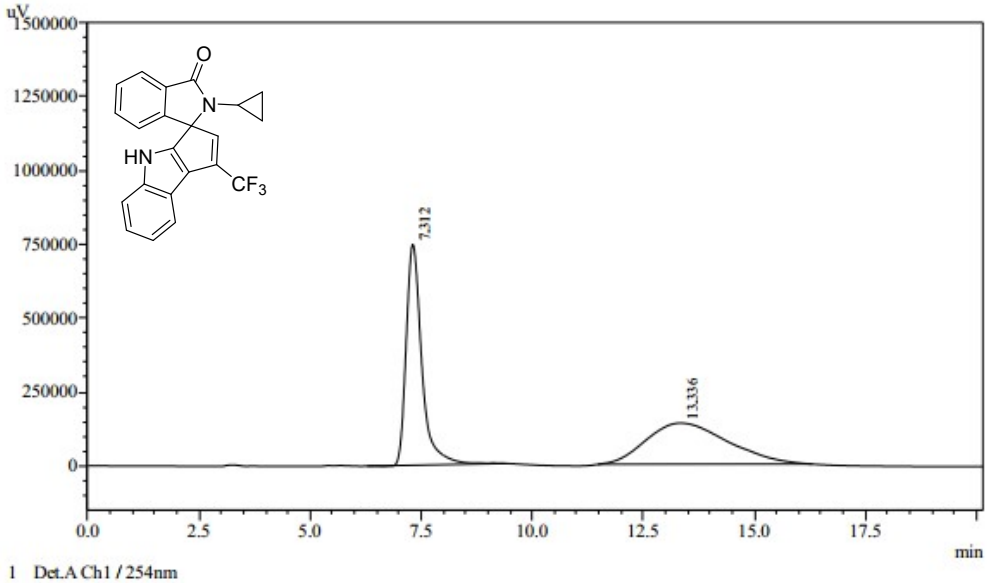


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	3.769	5434837	487661	81.038	76.352
2	5.737	1271716	151042	18.962	23.648
Total		6706553	638703	100.000	100.000

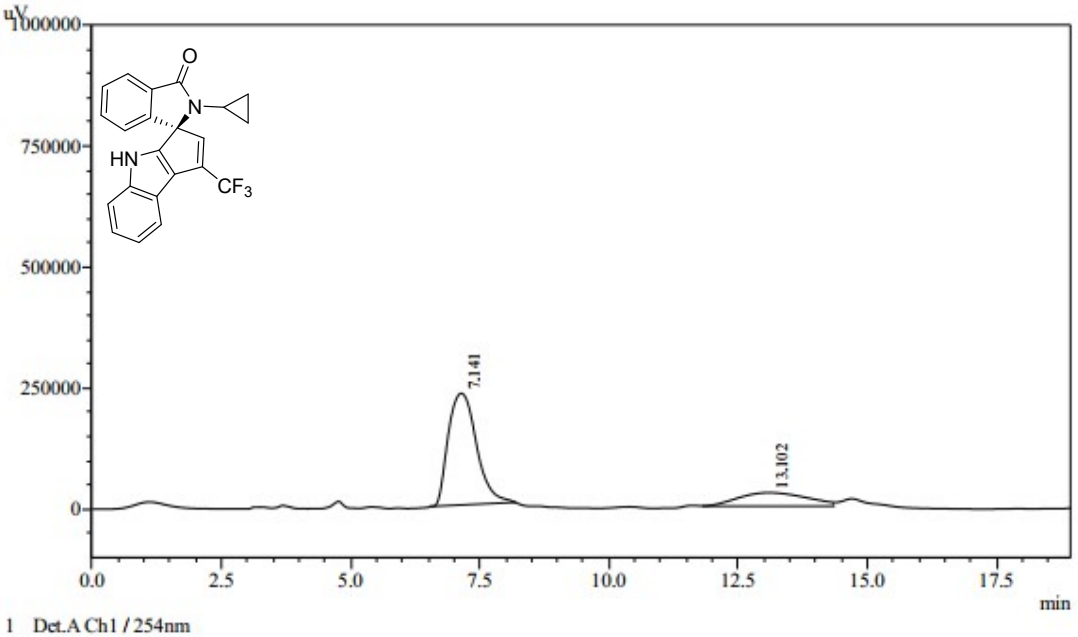
(R)-2'-cyclopropyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2l)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.312	18344297	747352	50.720	84.309
2	13.336	17823764	139094	49.280	15.691
Total		36168062	886446	100.000	100.000

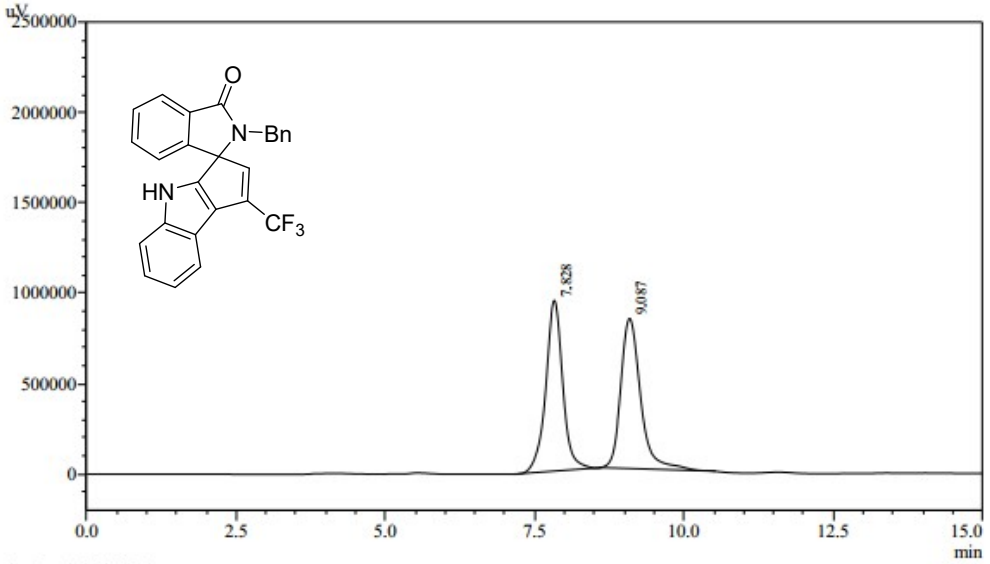


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.141	8790244	230734	77.035	89.170
2	13.102	2620442	28024	22.965	10.830
Total		11410687	258758	100.000	100.000

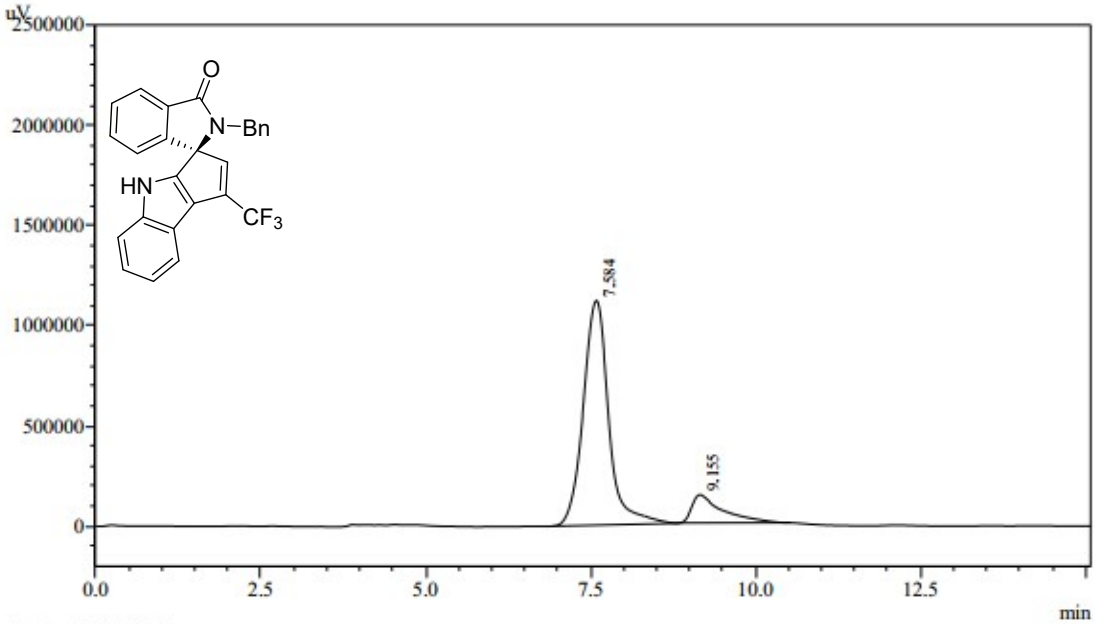
(R)-2'-benzyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2m)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.828	18737959	944920	49.405	53.232
2	9.087	19189199	830175	50.595	46.768
Total		37927157	1775094	100.000	100.000

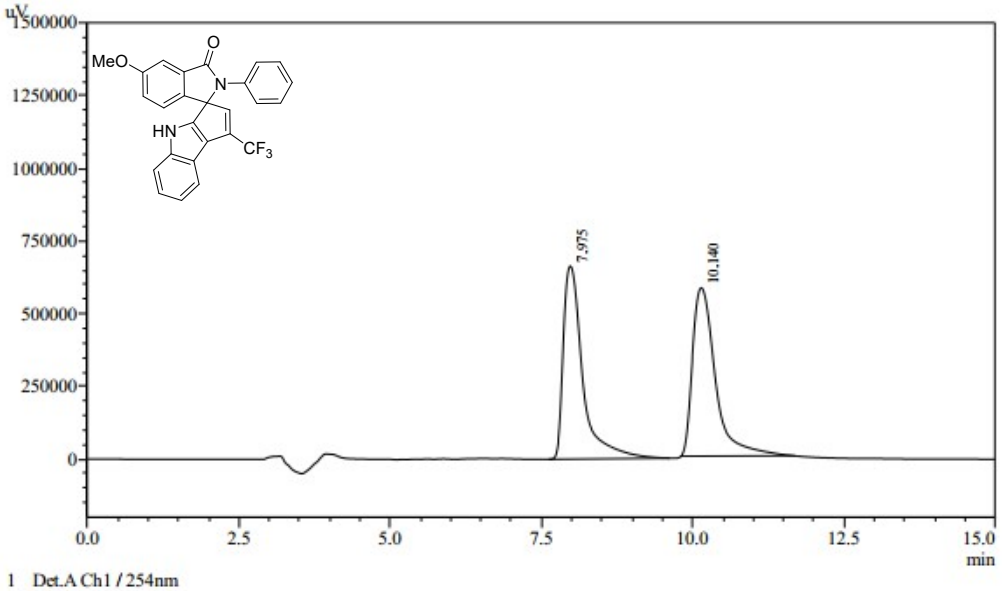


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.584	29936465	1120359	86.708	88.825
2	9.155	4589181	140958	13.292	11.175
Total		34525646	1261317	100.000	100.000

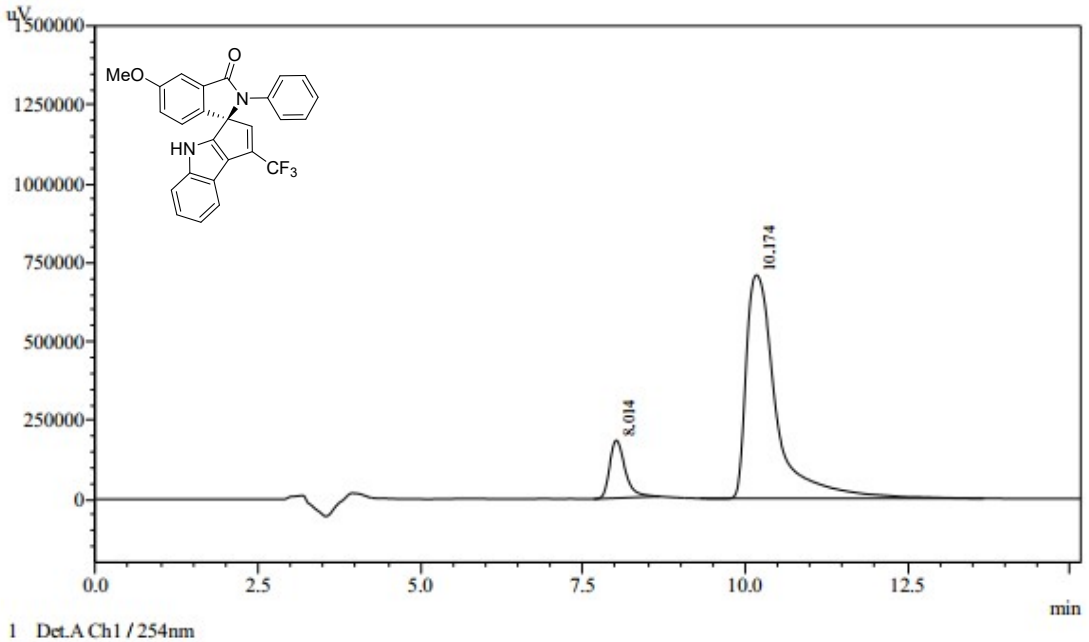
(R)-5'-methoxy-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2n)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.975	14872766	663401	49.231	53.398
2	10.140	15337243	578963	50.769	46.602
Total		30210008	1242364	100.000	100.000

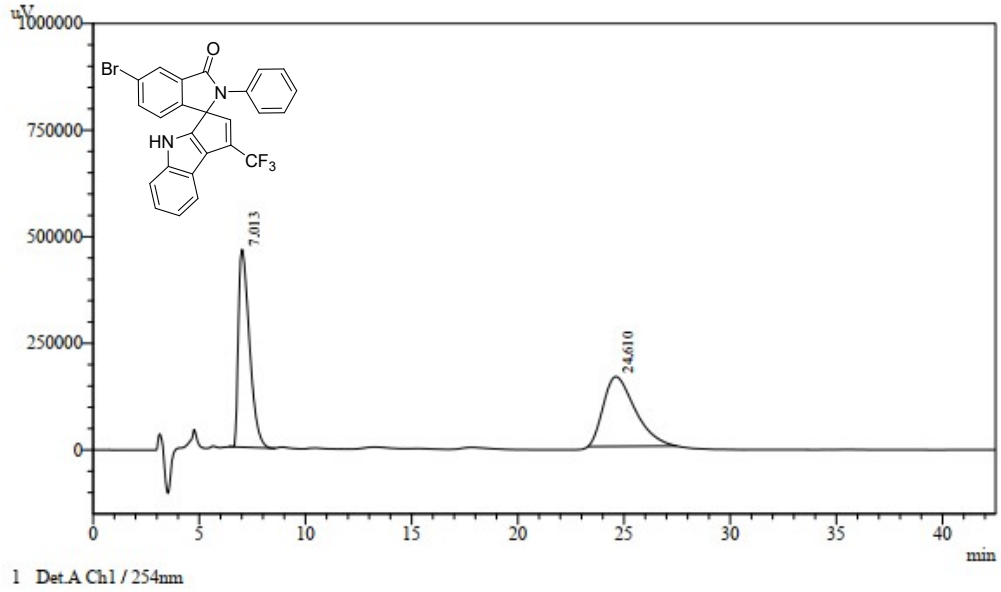


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.014	3041936	183080	11.679	20.550
2	10.174	23004022	707803	88.321	79.450
Total		26045958	890883	100.000	100.000

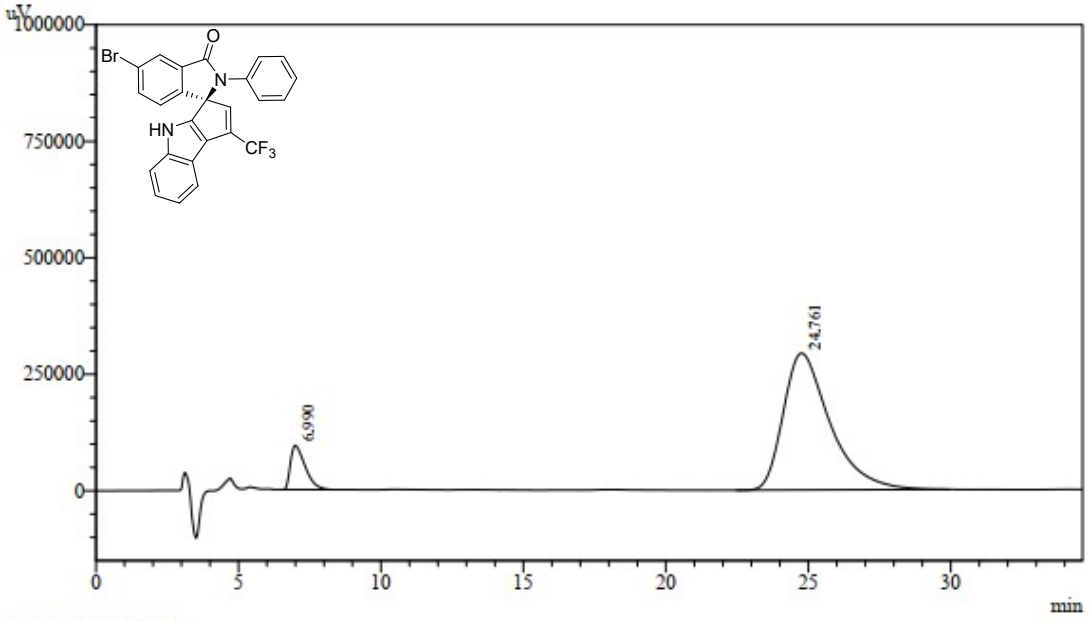
(R)-5'-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2o)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.013	16797647	463658	49.621	73.942
2	24.610	17054360	163399	50.379	26.058
Total		33852007	627057	100.000	100.000

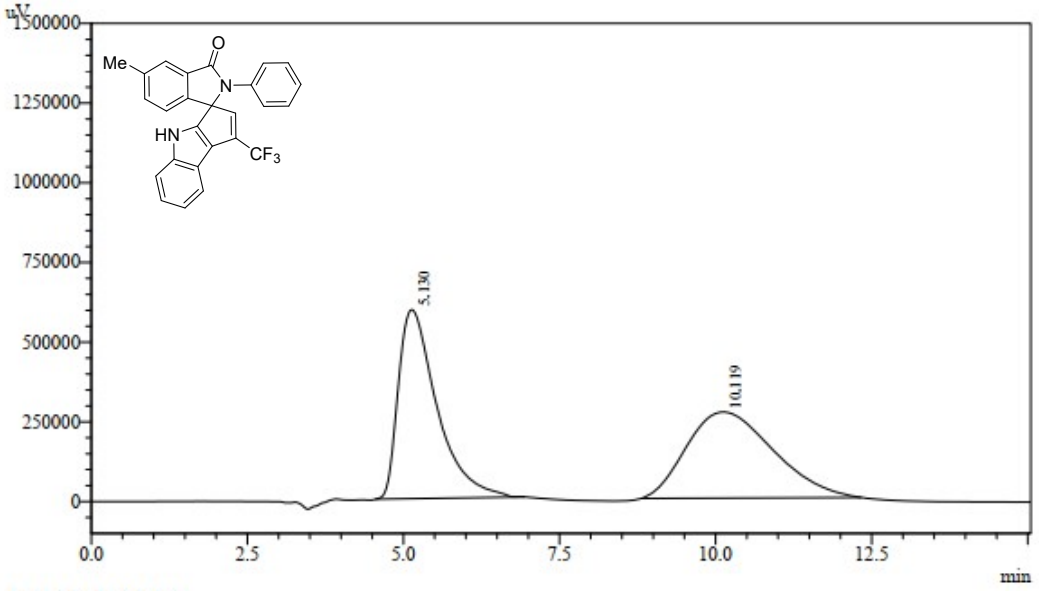


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.990	3402575	94746	9.222	24.413
2	24.761	33493306	293358	90.778	75.587
Total		36895881	388104	100.000	100.000

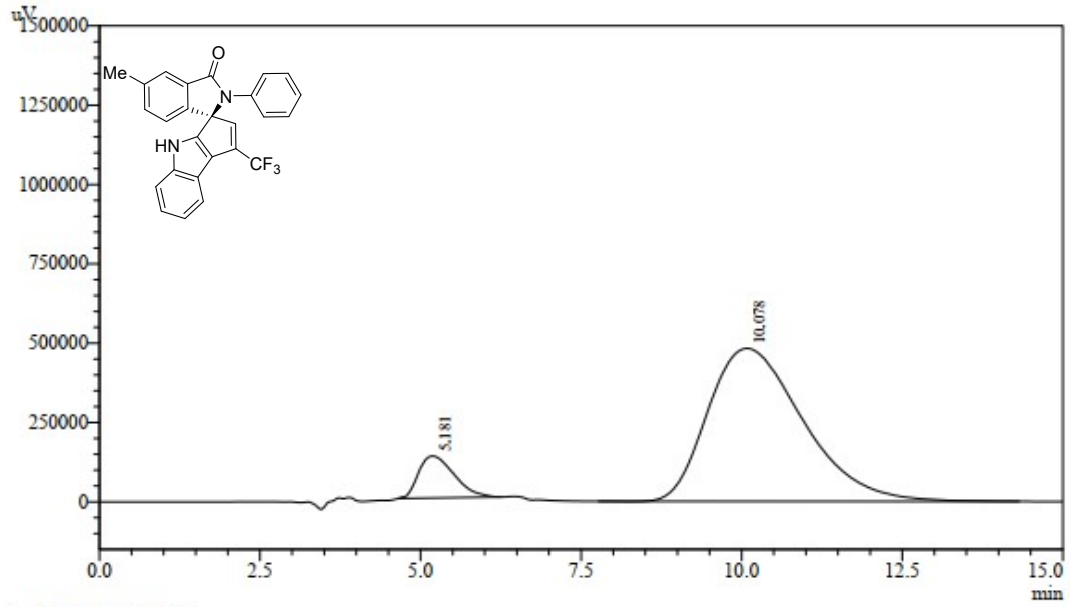
(R)-5'-methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2p)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.130	25503772	592922	49.411	68.695
2	10.119	26111404	270196	50.589	31.305
Total		51615176	863118	100.000	100.000

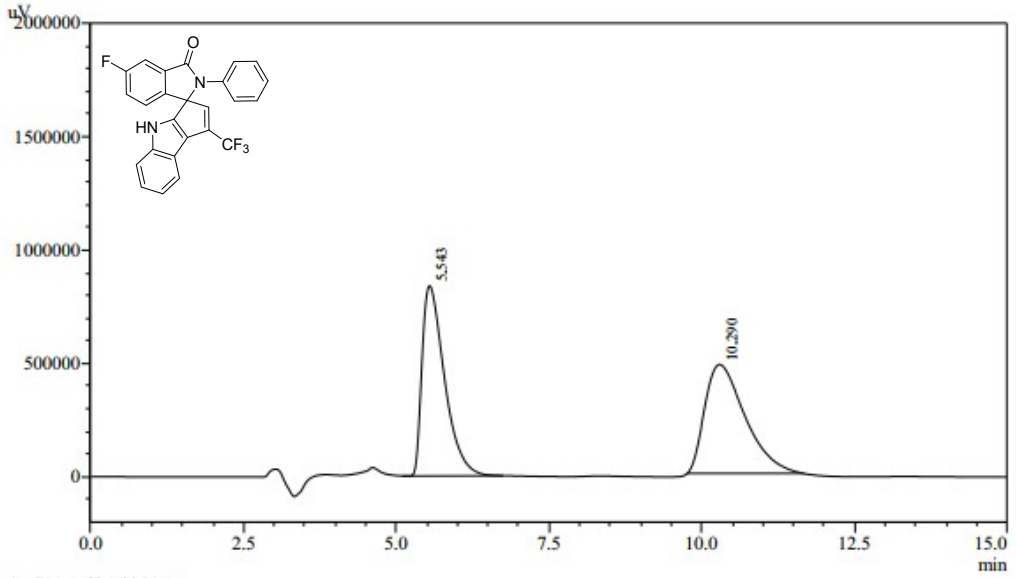


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.181	5107482	132798	9.308	21.590
2	10.078	49763356	482289	90.692	78.410
Total		54870838	615086	100.000	100.000

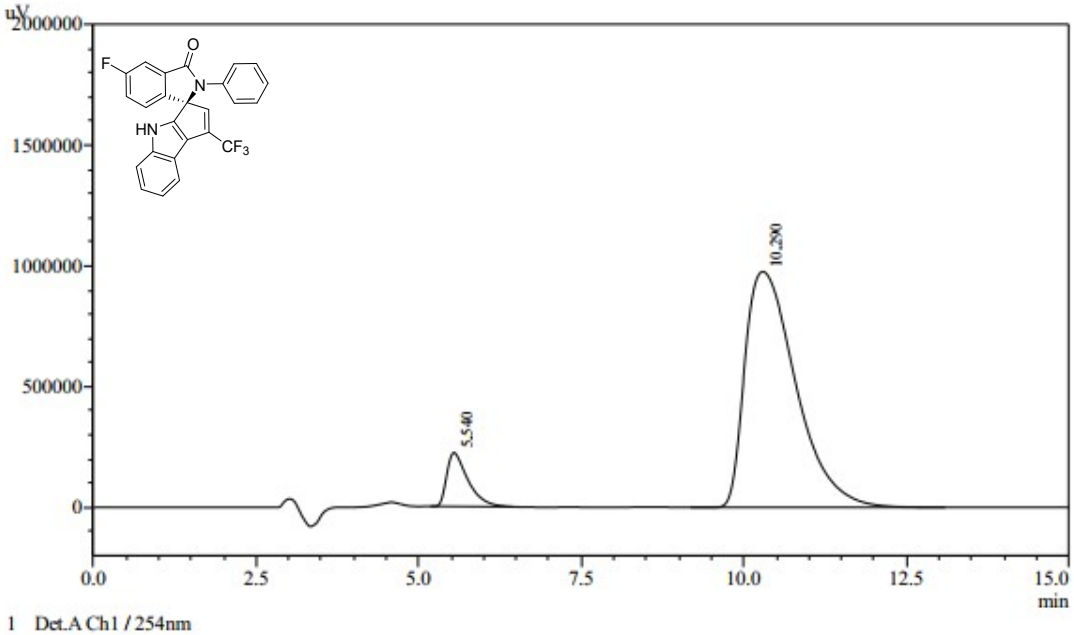
(R)-5'-fluoro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2q)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.543	21499276	839147	49.311	63.606
2	10.290	22100513	480135	50.689	36.394
Total		43599790	1319282	100.000	100.000

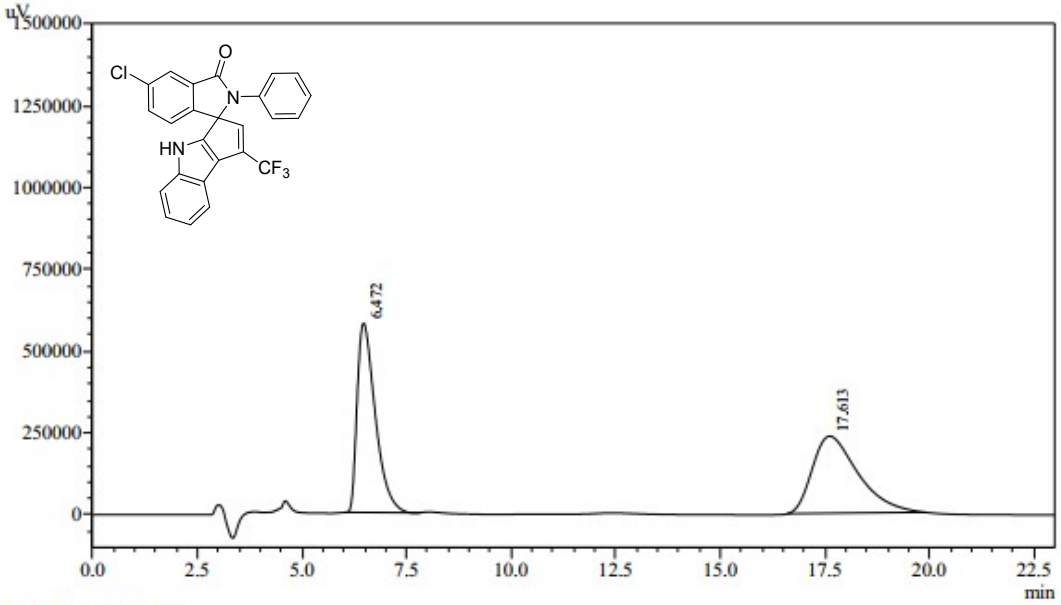


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.540	5046401	222770	8.639	18.569
2	10.290	53367400	976907	91.361	81.431
Total		58413802	1199677	100.000	100.000

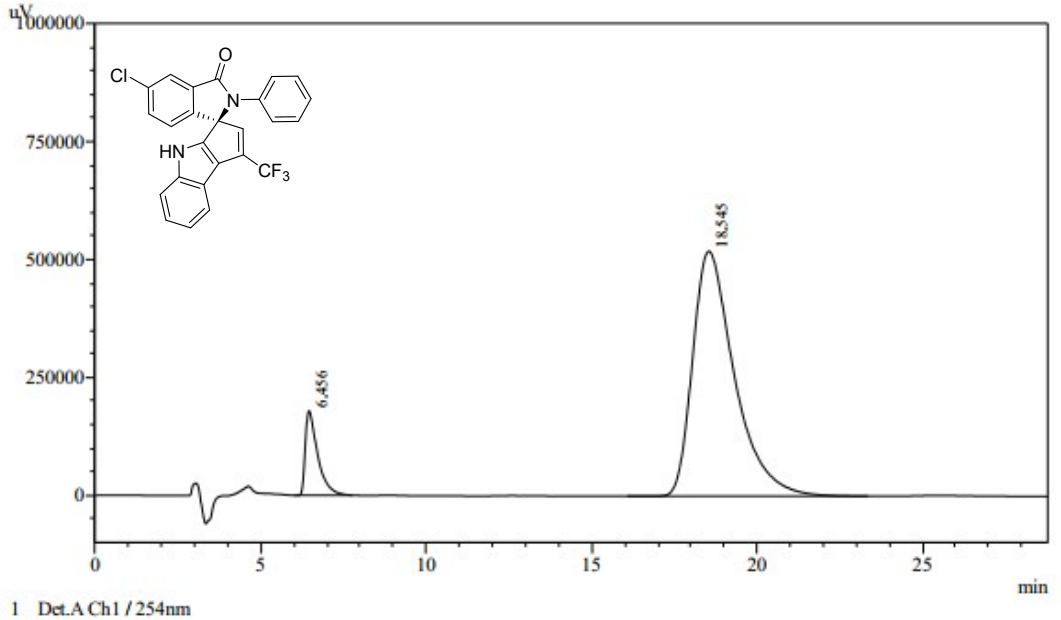
(R)-5'-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2r)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.472	17473308	578122	49.383	71.057
2	17.613	17909715	235486	50.617	28.943
Total		35383023	813608	100.000	100.000

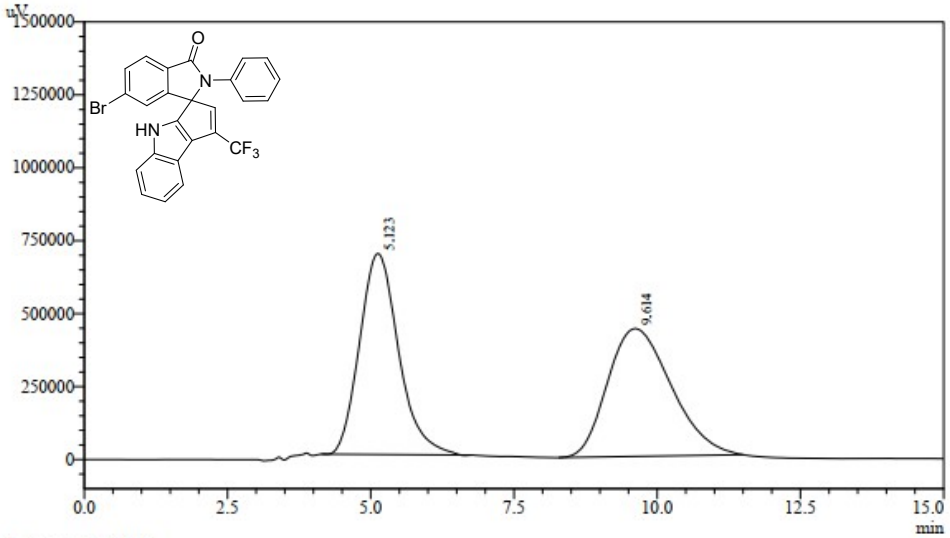


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.456	4665111	179105	9.286	25.639
2	18.545	45574981	519465	90.714	74.361
Total		50240092	698571	100.000	100.000

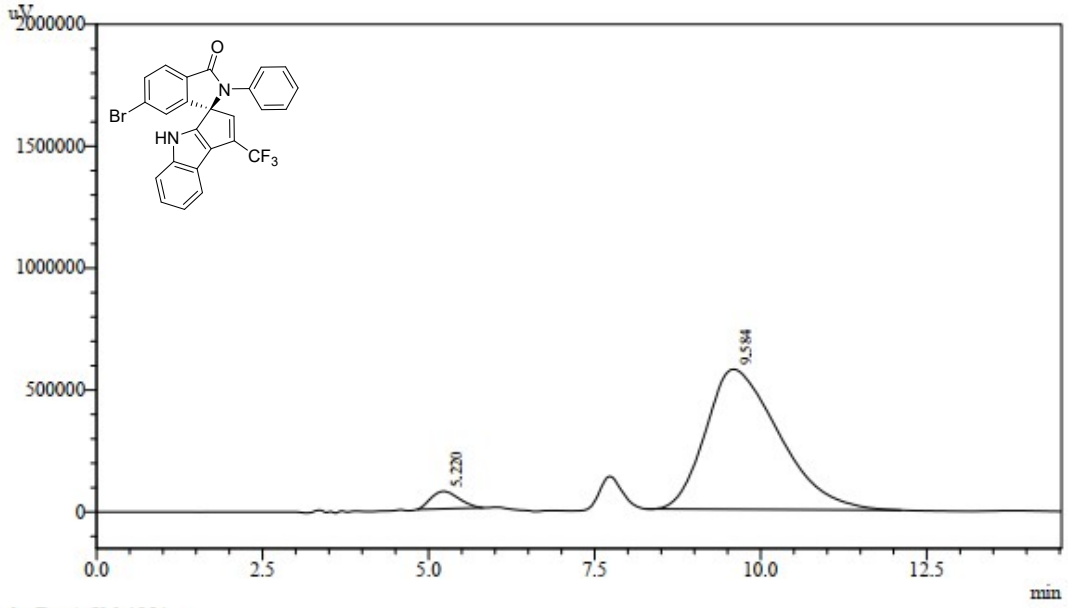
(R)-6'-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2s)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.123	32648140	688770	49.101	61.125
2	9.614	33843152	438051	50.899	38.875
Total		66491292	1126822	100.000	100.000

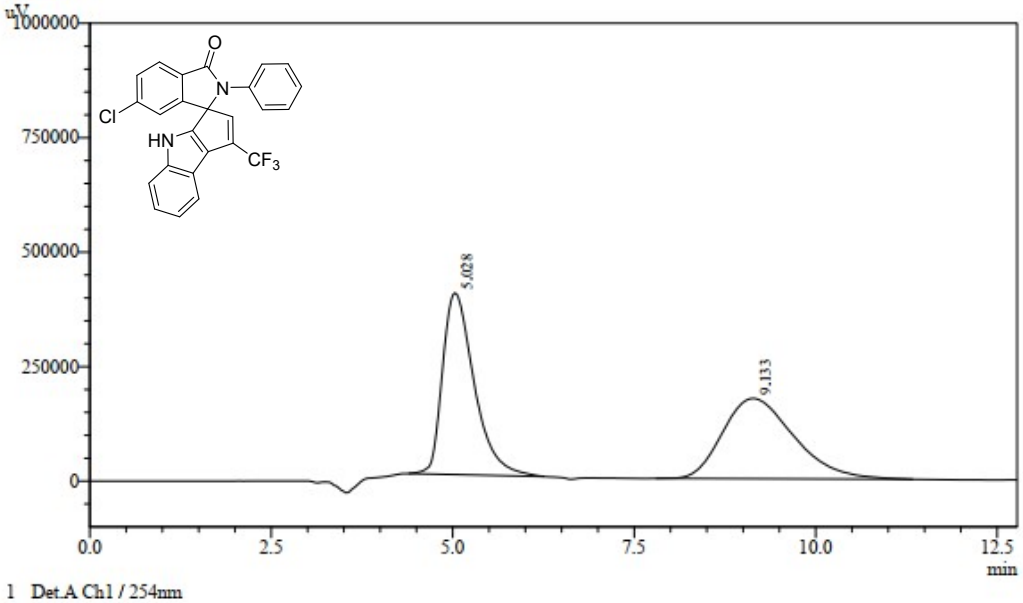


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.220	2116028	71967	4.560	11.128
2	9.584	44289617	574769	95.440	88.872
Total		46405645	646736	100.000	100.000

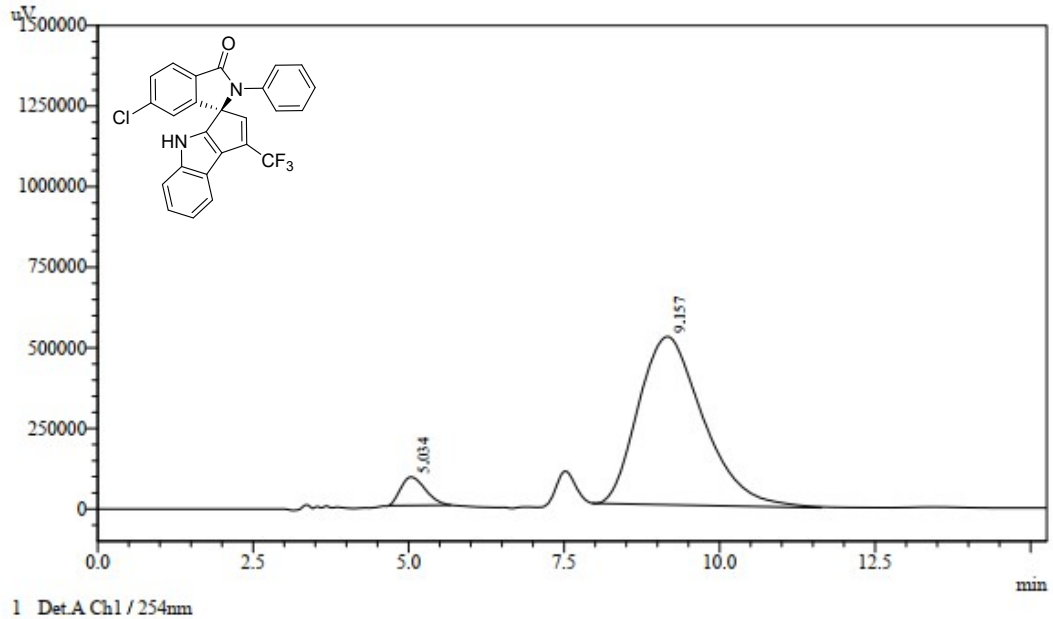
(R)-6'-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2t)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.028	12041417	396428	50.162	69.331
2	9.133	11963868	175360	49.838	30.669
Total		24005285	571788	100.000	100.000

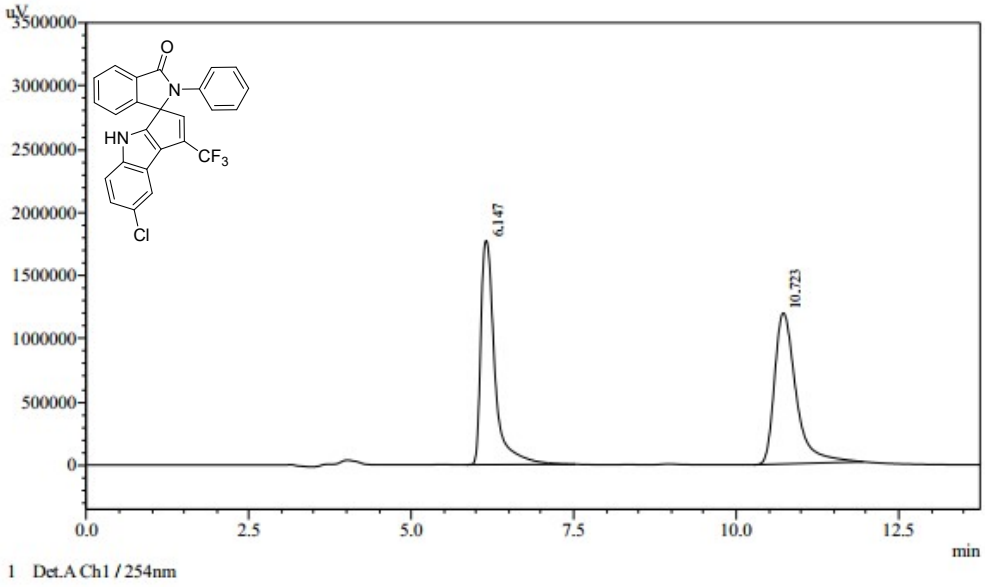


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.034	2443263	88489	6.052	14.498
2	9.157	37926738	521861	93.948	85.502
Total		40370000	610351	100.000	100.000

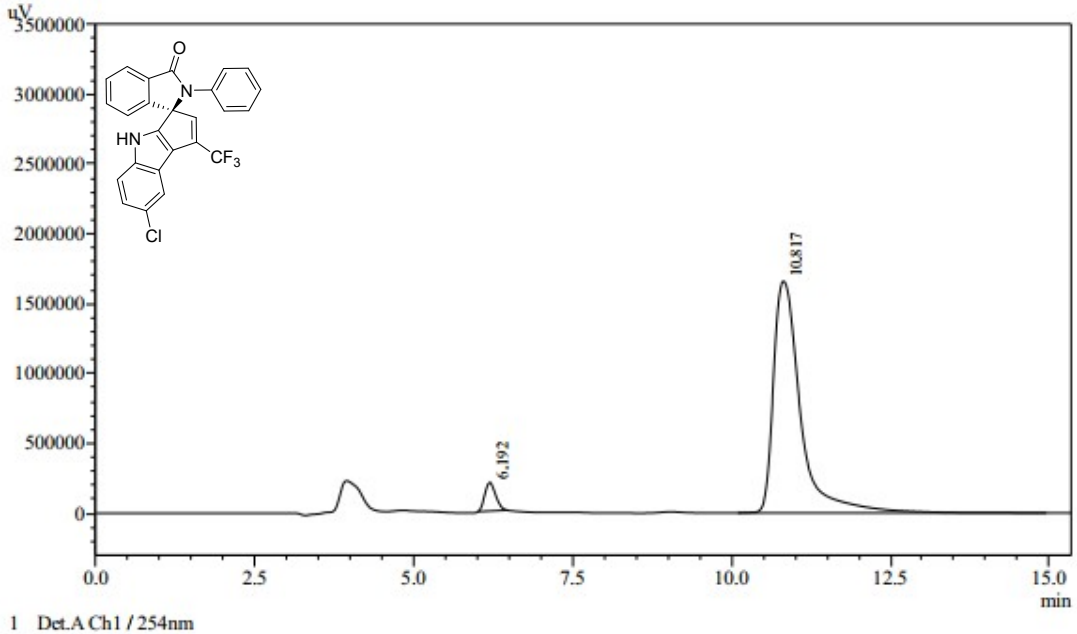
(R)-7-chloro-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2u)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.147	26690716	1775303	49.113	59.752
2	10.723	27654718	1195804	50.887	40.248
Total		54345434	2971107	100.000	100.000

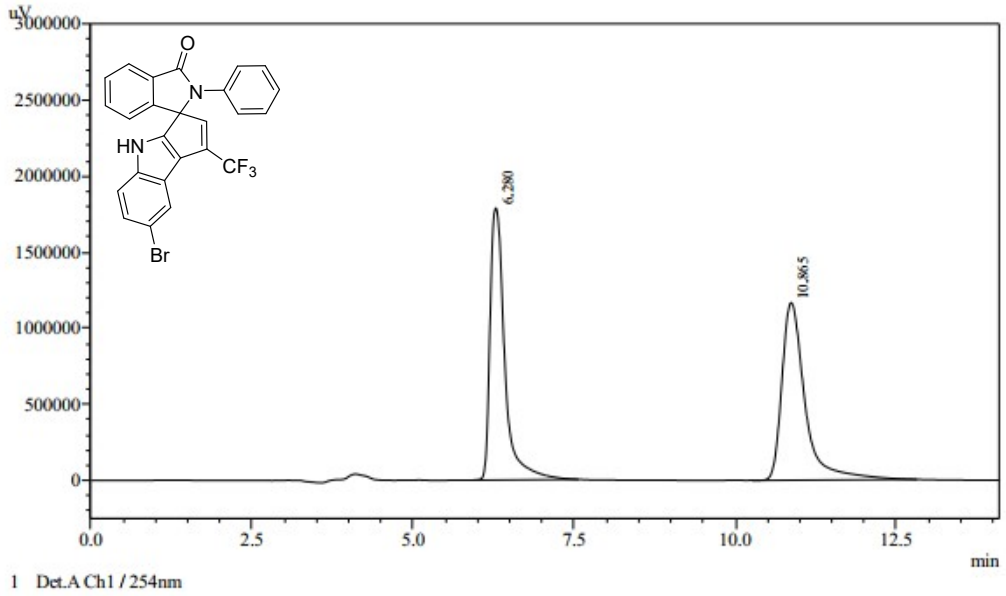


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.192	2426047	203131	4.677	10.916
2	10.817	49440561	1657699	95.323	89.084
Total		51866608	1860830	100.000	100.000

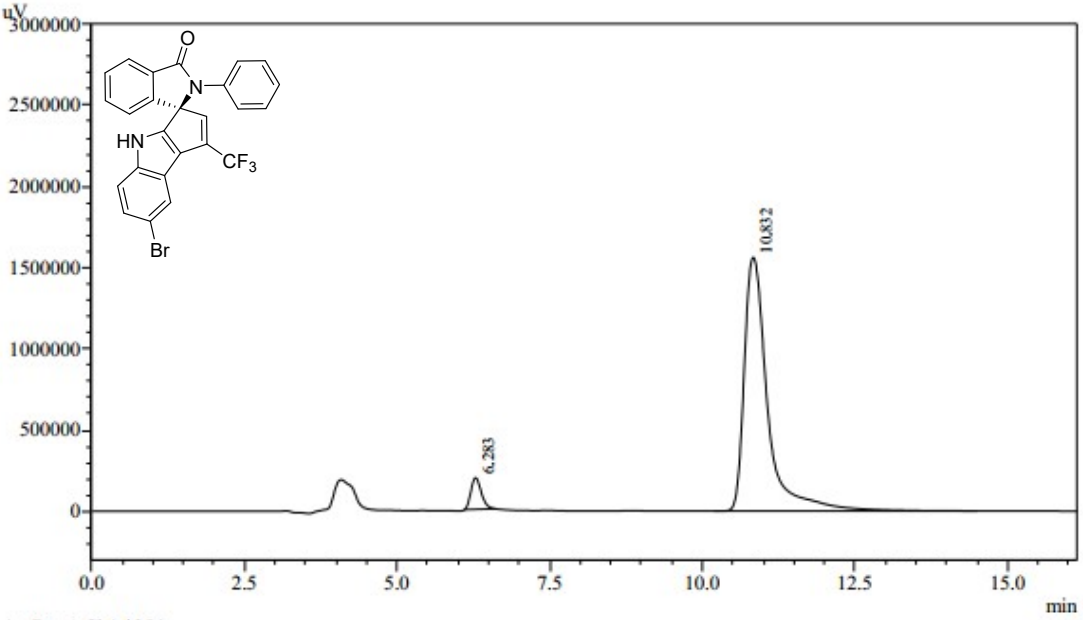
(R)-7-bromo-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2v)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.280	28376056	1787672	49.280	60.515
2	10.865	29204853	1166442	50.720	39.485
Total		57580909	2954113	100.000	100.000

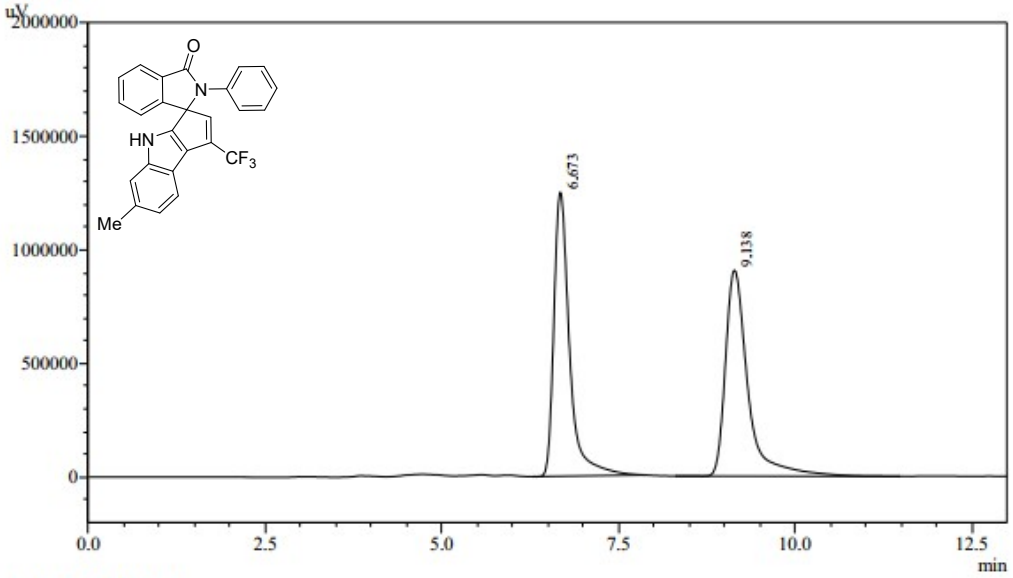


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.283	2296409	194031	5.227	11.050
2	10.832	41633772	1561967	94.773	88.950
Total		43930181	1755998	100.000	100.000

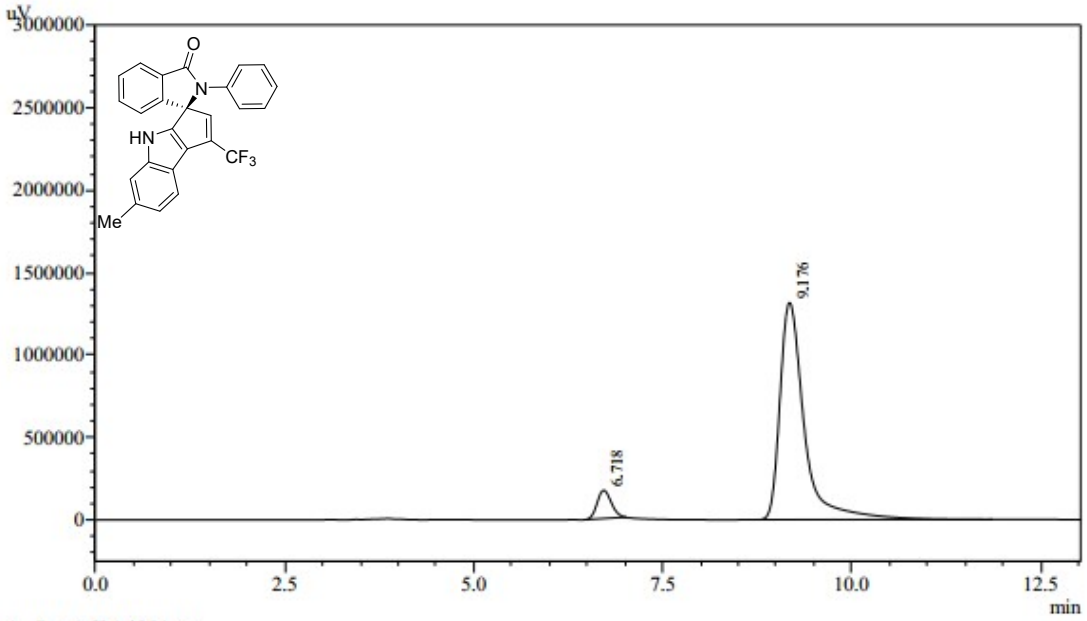
(R)-6-methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (2w)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.673	19336508	1251278	49.049	57.992
2	9.138	20086162	906399	50.951	42.008
Total		39422670	2157677	100.000	100.000

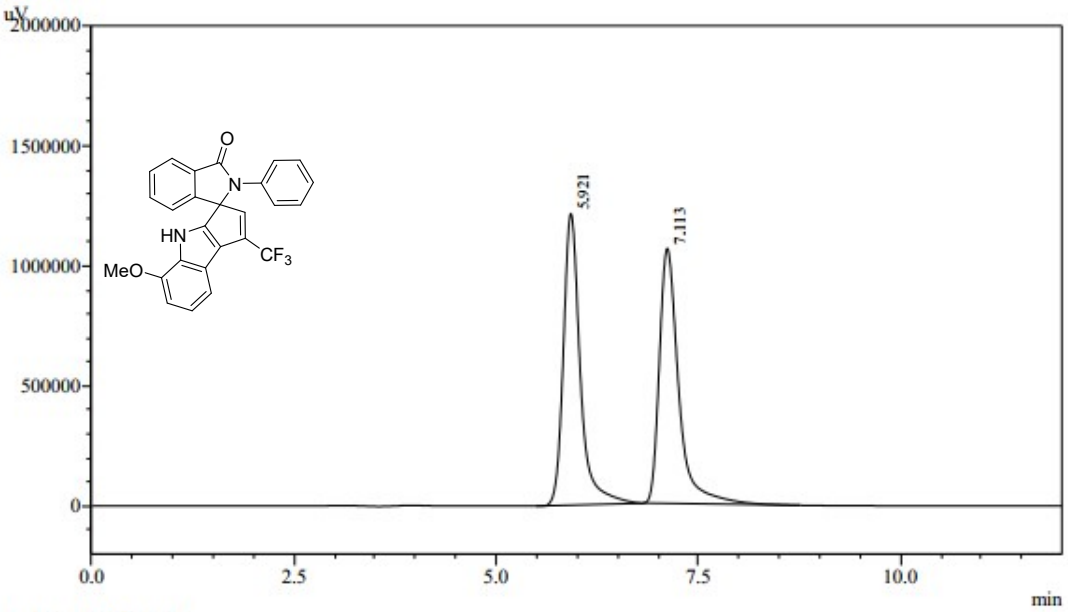


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.718	2233914	171556	7.007	11.529
2	9.176	29647621	1316520	92.993	88.471
Total		31881535	1488076	100.000	100.000

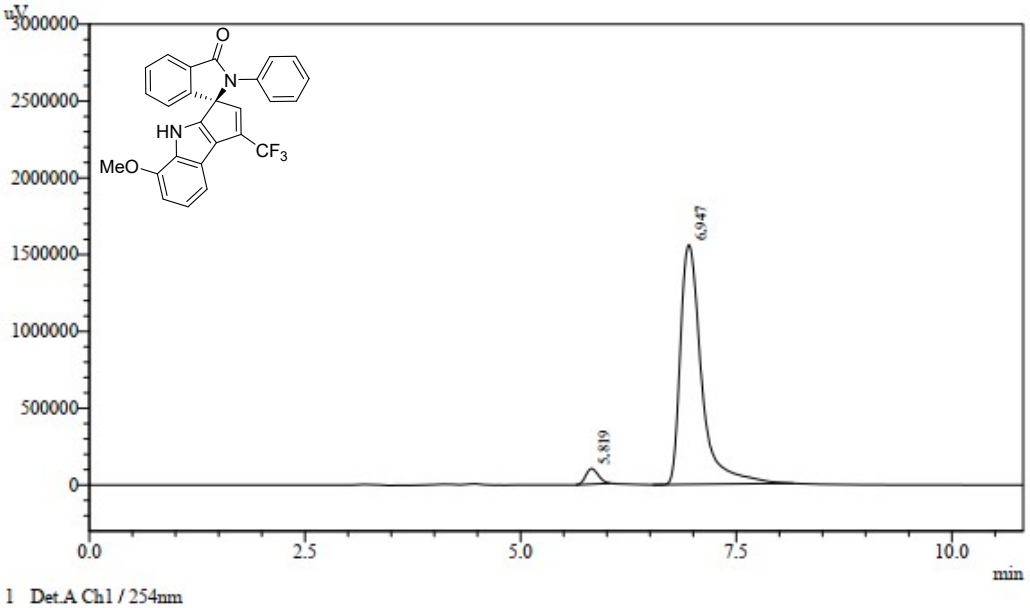
(R)-5-methoxy-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindolin]-3'-one (2x)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.921	17663228	1215676	49.890	53.338
2	7.113	17741068	1063530	50.110	46.662
Total		35404296	2279206	100.000	100.000

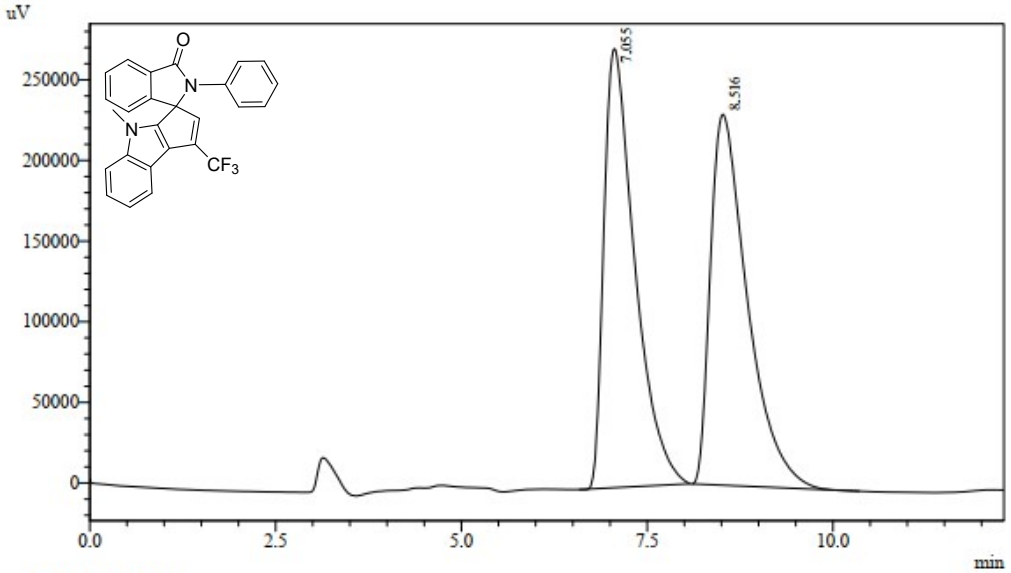


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.819	1021481	101577	3.678	6.114
2	6.947	26753830	1559688	96.322	93.886
Total		27775310	1661265	100.000	100.000

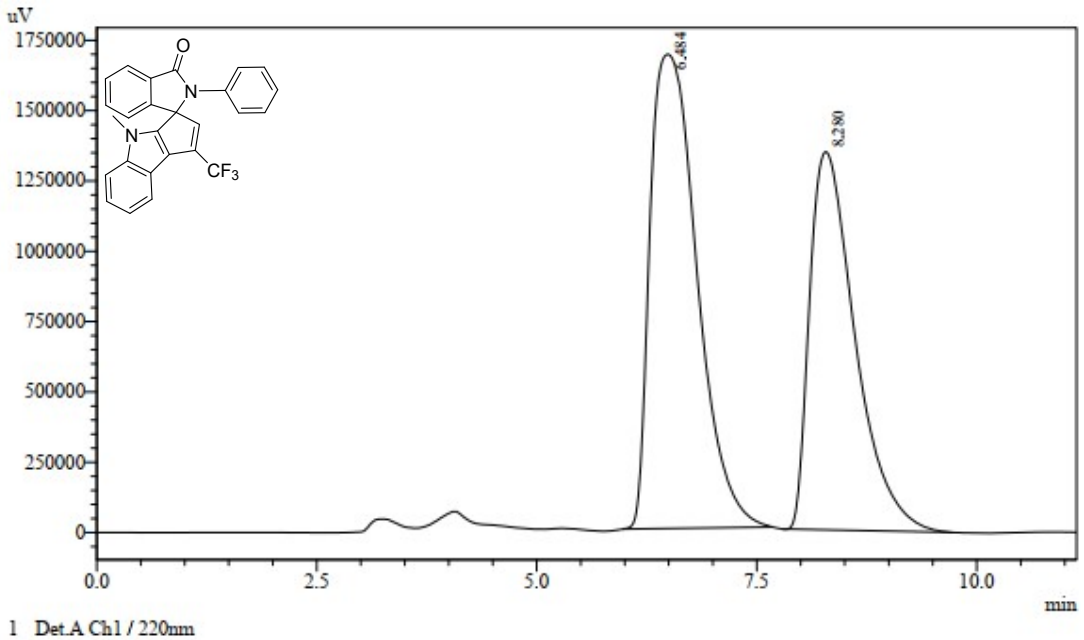
4-Methyl-2'-phenyl-1-(trifluoromethyl)-4H-spiro[cyclopenta[b]indole-3,1'-isoindolin]-3'-one (4)



1 Det.A Ch1 / 220nm

Detector A Ch1 220nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.055	7876054	272165	49.692	54.210
2	8.516	7973821	229889	50.308	45.790
Total		15849876	502054	100.000	100.000

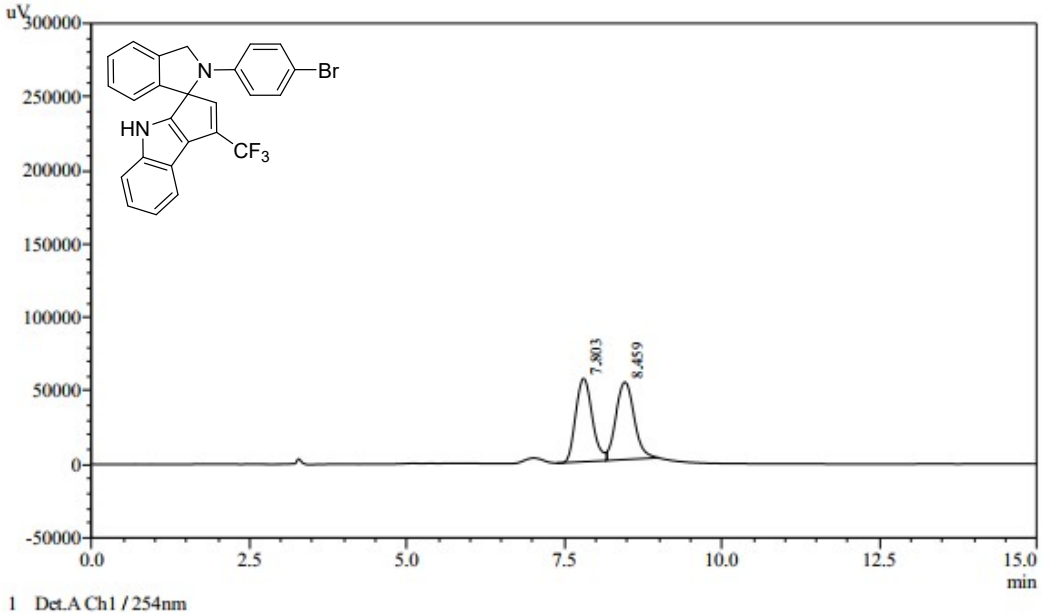


1 Det.A Ch1 / 220nm

Detector A Ch1 220nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.484	62536408	1685506	56.397	55.643
2	8.280	48349871	1343653	43.603	44.357
Total		110886280	3029159	100.000	100.000

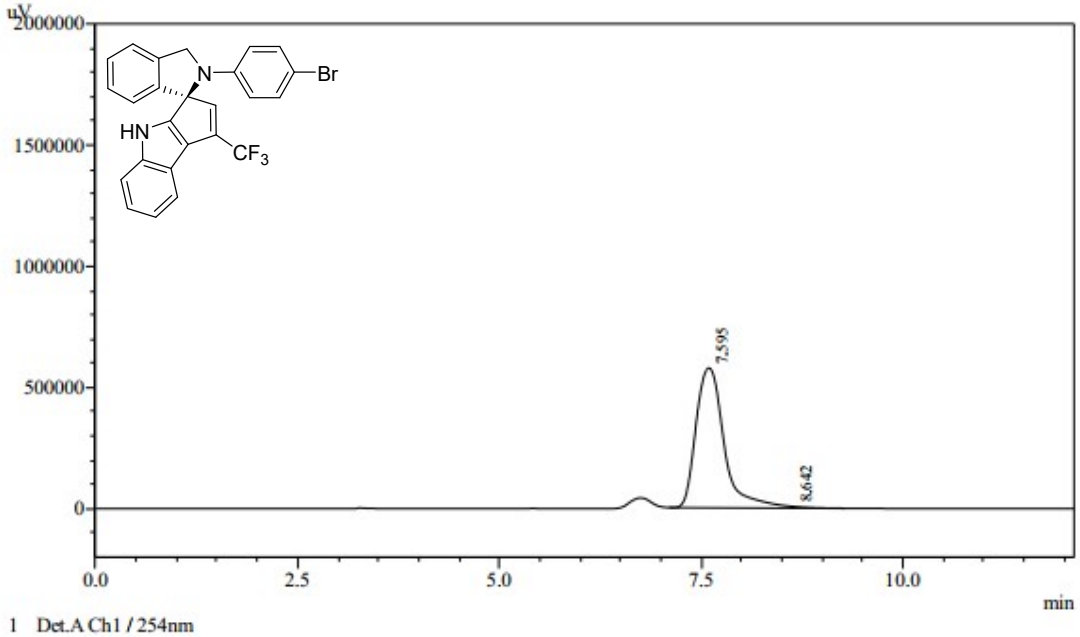
(R)-2'-(4-bromophenyl)-1-(trifluoromethyl)-4H-spiro[cyclopenta[b] indole-3,1'-isoindoline] (6)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.803	1040559	56715	49.304	51.832
2	8.459	1069955	52706	50.696	48.168
Total		2110514	109422	100.000	100.000

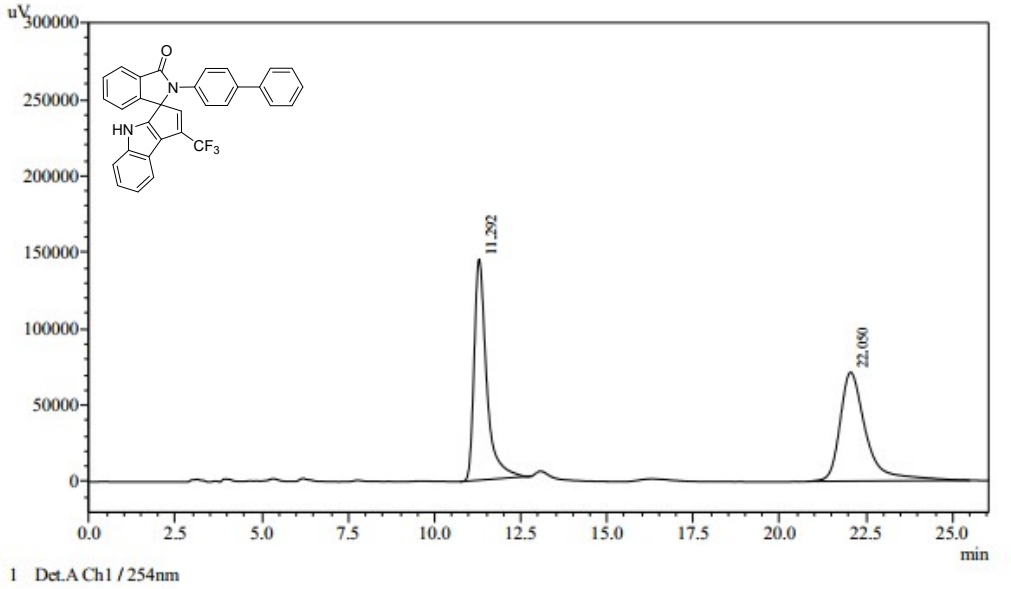


1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.595	14009856	577070	99.485	98.878
2	8.642	72503	6550	0.515	1.122
Total		14082360	583619	100.000	100.000

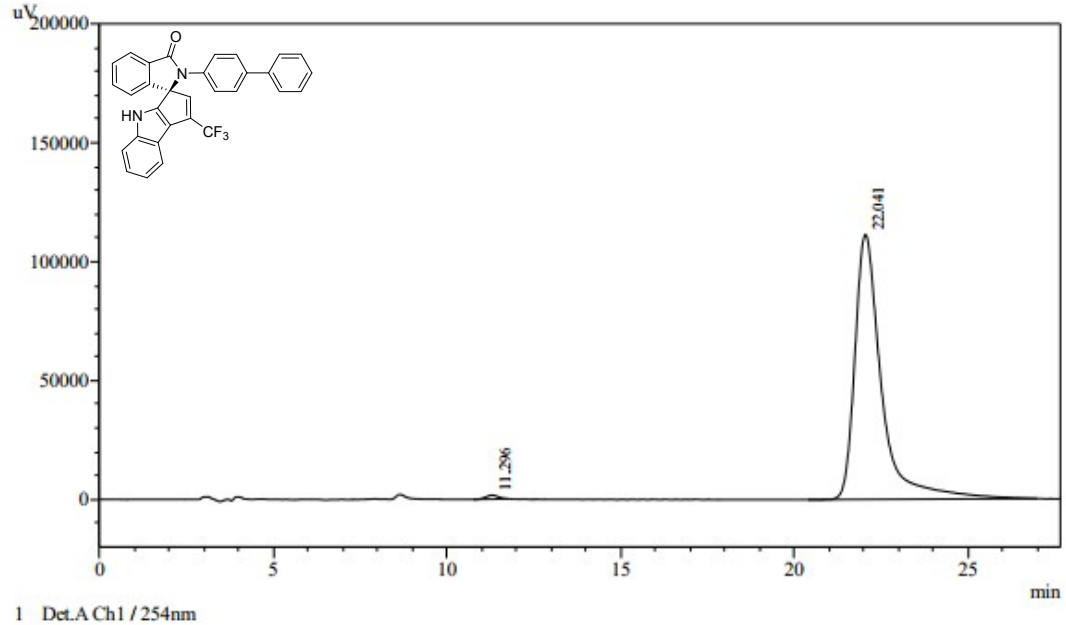
(R)-2'-([1,1'-biphenyl]-4-yl)-1-(trifluoromethyl)-4H-spiro[cyclopenta [b]indole-3,1'-isoindolin]-3'-one (7)



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.292	3627436	144450	49.274	66.985
2	22.050	3734293	71194	50.726	33.015
Total		7361729	215645	100.000	100.000



1 Det.A Ch1 / 254nm

Detector A Ch1 254nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.296	40895	1674	0.681	1.479
2	22.041	5964492	111520	99.319	98.521
Total		6005388	113194	100.000	100.000