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Supporting Information

Organocatalytic Michael/cyclization cascade reactions of 3-isothiocyanato oxindoles with 3-trifluoroethylidene oxindoles: approach for the synthesis of 3'-trifluoromethyl substituted 3,2'-pyrrolidinyl-bispirooxindoles

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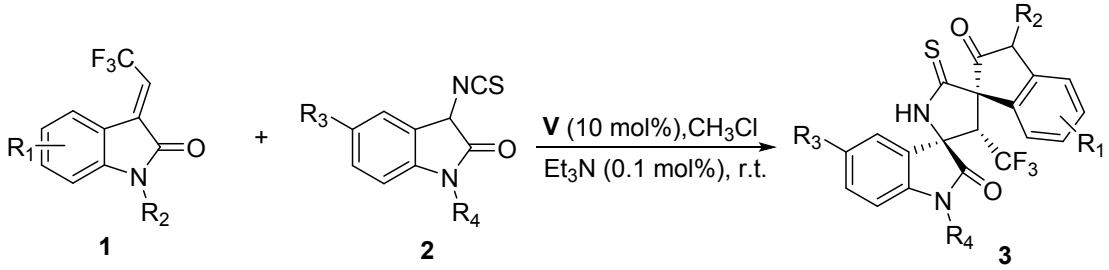
Table of Contents

1. General Information

All reactions were carried out in oven-dried reaction vessel unless otherwise noted and solvents were dried according to established procedures. Reactions were monitored by thin layer chromatography (TLC). Purification of reaction product was carried out by flash chromatography using Qing Dao Sea Chemical Reagent silica gel (200-300 mesh). ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on Bruker 400 MHz spectrometer in $CDCl_3$ unless otherwise noted. Chemical shifts in ^{1}H NMR spectra are reported in parts per million (ppm, δ) downfield from the internal standard Me_4Si (TMS, δ = 0 ppm). Chemical shifts in ^{13}C NMR spectra are reported relative to the central line of the chloroform signal (δ = 77.0 ppm). Data are presented as follows: chemical shift, integration, multiplicity (br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, cm = complex multiplet) and coupling constant in Hertz (Hz). HPLC analyses were conducted on an Agilent instrument using a Daicel Chiralpak IA-H column. High resolution mass spectra were obtained with a Shimadzu LCMS-IT-TOF mass spectrometer.

2. Synthetic transformation of the spirocyclic products

General Procedure for the Asymmetric Synthesis of Compound 3a-3p



To a solution of 3-isothiocyanato-1-methylindolin-2-one **2a** (22.5mg, 0.1mmol) and catalyst **V** (6.31mg, 0.01mmol, 10mol%) in $CHCl_3$ (1 mL) was added (E)-tert-butyl 2-oxo-3-(2,2,2-trifluoroethyl-idene)indoline-1-carboxylate **1a** (31.3 mg, 0.1 mmol) and Et_3N (0.0001mmol, 13.8μL). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 5:1) to give the desired product **3a**.

Compound 3a. White solid, 92% yield, >20:1 *dr,* >99% *ee*, $[a]_D^{20}$ = –58.76 (c=0.21, CH_3OH), Mp.168.8-170.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 7.7 Hz, 1H), 8.31 (s, 1H), 7.93 (d, *J* = 8.2 Hz, 1H), 7.64 (d, *J* = 7.4 Hz, 1H), 7.42 (q, *J* = 7.8 Hz, 2H), 7.29 – 7.23 (m, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 7.8 Hz, 1H), 4.48 (q, *J* = 9.1 Hz, 1H), 3.26 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.9, 173.3, 171.9, 148.6, 143.3, 140.8, 131.9, 130.3, 127.9, 126.0, 125.4, 124.8, 124.5, 124.4, 123.2 (q, J_{CF} = 279.8 Hz), 115.2, 109.3, 85.4, 69.1, 68.0, 58.5 (q, J_{CF} = 28.8 Hz), 28.2, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.84. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{22}F_3N_3NaO_4S]^+$: 540.1175, found: 540.1172; The enantiomeric excess was determined by

HPLC with a Chiralpak IA-H column (hexane/*i*-propanol =90/10, flow rate 1.0 mL·min^{-1}, λ = 254 nm): t_{major} = 9.1min, t_{minor} = 12.3 min.

Compound 3b. White solid, 93% yield, >20:1 *dr*, 96% *ee*, $[a]_D^{20}$ = -37.67(c=0.21,CH_3OH), Mp.172.6-173.4℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 8.22 (d, *J* = 8.4 Hz, 1H), 7.92 (dd, *J* = 9.0, 4.6 Hz, 1H), 7.62 (d, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.11 (td, *J* = 8.8, 2.6 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.47 (q, *J* = 9.1 Hz, 1H), 3.28 (s, 3H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.1, 172.9, 171.9, 161.4, 159.0, 148.5, 143.4, 136.9, 132.0, 126.4 (d, J_{CF} = 9.7 Hz), 125.5, 124.4 (d, J_{CF} = 6.3 Hz), 123.1 (q, *J* = 276.2 Hz), 117.1 (d, J_{CF} = 23.2 Hz), 116.5 (d, J_{CF} = 7.9 Hz), 115.6 (d, J_{CF} = 26.3 Hz), 109.4, 85.6, 69.1, 67.9, 58.2 (q, J_{CF} = 29.2 Hz), 28.2, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.78, -115.84. HRMS (ESI):*m/z* [M+Na]$^+$ calcd. for $[C_{25}H_{21}F_4N_3NaO_4S]^+$: 558.1081, found: 558.1069; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=8.1min, t_{minor}=9.4 min.

Compound 3c. White solid, 91% yield, >20:1 *dr*, 95% *ee* $[a]_D^{20}$ = -48.17(c=0.23,CH_3OH), Mp.160.3-161.6℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (s, 1H), 8.30 (s, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.62 (d, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.38 (dd, *J* = 8.8, 2.2 Hz, 1H), 7.20 (t, *J* = 7.6 Hz, 1H), 6.91 (d, *J* = 7.9 Hz, 1H), 4.46 (q, *J* = 9.0 Hz, 1H), 3.29 (s, 3H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.9, 172.7, 171.7, 148.4, 143.4, 139.4, 132.0, 130.8, 130.4, 128.2, 126.4, 125.6, 124.4, 124.4, 123.0 (q, J_{CF} = 289.6 Hz), 116.4, 109.4, 85.8, 69.0, 67.8, 58.2 (q, J_{CF} = 28.9 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.76. HRMS (ESI): m/z [M+Na]$^+$ calcd. for $[C_{25}H_{21}ClF_3N_3NaO_4S]^+$:574.086, found:574.0771. The enantiomeric excess was determined by HPLC with Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=8.2min, t_{minor}=6.6 min.

Compound 3d. White solid, 84% yield, >20:1 *dr*, >99% *ee* $[a]_D^{20}$ = -17.00(c=0.30,CH_2Cl_2), Mp.177.6-179.2℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H), 8.25 (s, 1H), 7.84 (d, *J* = 8.8 Hz, 1H), 7.62 (d, *J* = 7.3 Hz, 1H), 7.54 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.44 (td, *J* = 7.8, 0.8 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.46 (q, *J* = 9.1 Hz, 1H), 3.29 (s, 3H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.9, 172.6, 171.7, 148.4, 143.4, 139.9, 133.3, 132.0, 131.0, 126.6, 125.6, 124.4, 124.4, 123.0 (q, J_{CF} = 284.4 Hz), 118.3, 116.8, 109.4, 85.8, 69.0, 67.6, 58.3 (q, J_{CF} = 28.9 Hz), 28.2, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.76. HRMS (ESI):*m/z* [M+Na]$^+$ calcd. for $[C_{25}H_{21}BrF_3N_3NaO_4S]^+$: 618.0280, found: 618.0273; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=10.1min, t_{minor}=6.9 min.

Compound 3e. White solid, 90% yield, >20:1 *dr*, 98% *ee* $[a]_D^{20}$ = -17.53(c=0.19,CH_2Cl_2), Mp.176.2-178.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (s, 1H), 8.17 (s, 1H), 7.79 (d, *J* = 8.3 Hz, 1H), 7.64 (d, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.5 Hz, 1H), 7.23 – 7.15 (m, 2H), 6.88 (d, *J* = 7.8 Hz, 1H), 4.47 (q, *J* = 9.2 Hz, 1H), 3.26 (s, 3H), 2.41 (s, 3H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.0, 173.5, 171.7, 148.6, 143.3, 138.3, 135.0, 131.8, 130.8, 128.4, 126.0, 124.6, 124.4, 124.4, 123.2 (q, J_{CF} = 279.3 Hz), 114.9, 109.3, 85.2, 69.1, 68.1, 58.5 (q, J_{CF} = 28.8 Hz), 28.2, 27.2, 21.5;

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.76. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{26}H_{24}F_3N_3NaO_4S]^+$: 554.1332, found: 554.1321; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=8.8min, t_{minor}=7.9 min.

Compound 3f. White solid, 86% yield, >20:1 *dr*, 81% *ee*, $[a]_D^{20}$ = +12.89(c=0.19,CH_3OH), Mp.268.1-270.0℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (d, *J* = 7.6 Hz, 1H), 8.29 (s, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.40 (dt, *J* = 16.2, 8.0 Hz, 2H), 7.25 (d, *J* = 7.9 Hz, 1H), 7.18 (t, *J* = 7.6 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.91 (q, *J* = 9.1 Hz, 1H), 3.27 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.2, 173.6, 168.9, 148.1, 143.6, 142.2, 131.5, 131.5, 131.3, 129.9, 126.5, 124.2, 123.7, 123.2 (q, J_{CF} = 279.7 Hz), 123.2, 113.7, 108.9, 85.9, 69.3, 67.2, 54.1 (q, J_{CF} = 28.9 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.95. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{21}ClF_3N_3NaO_4S]^+$: 574.0786, found: 574.0771; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=13.9min, t_{minor}=26.4 min.

Compound 3g. White solid, 90% yield, >20:1 *dr*, >99% *ee*, $[a]_D^{20}$ = +38.62(c=0.24,CH_2Cl_2) Mp.276.2-278.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (d, *J* = 7.7 Hz, 1H), 8.35 (s, 1H), 7.83 (d, *J* = 8.2 Hz, 1H), 7.41 (t, *J* = 8.2 Hz, 2H), 7.29 (t, *J* = 8.2 Hz, 1H), 7.17 (t, *J* = 7.7 Hz, 1H), 6.90 (d, *J* = 7.8 Hz, 1H), 4.94 (q, *J* = 9.1 Hz, 1H), 3.24 (s, 3H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.1, 173.7, 169.0, 148.0, 143.5, 142.5, 131.7, 131.5, 130.0, 129.9, 124.8, 124.2, 123.2, 123.2 (q, J_{CF} = 279.6 Hz), 119.9, 114.1, 108.9, 85.9, 69.4, 68.0, 54.4 (q, J_{CF} = 28.9 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -63.05. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{21}BrF_3N_3NaO_4S]^+$: 618.0280, found: 618.0275; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=11.0min, t_{minor}=19.9 min.

Compound 3h. White solid, 96% yield, >20:1 *dr*, 97% *ee*, $[a]_D^{20}$ = -34.26(c=0.27,CH_3OH), Mp. 225.2-226.7℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (dd, *J* = 14.7, 6.7 Hz, 2H), 7.72 (dd, *J* = 10.1, 2.5 Hz, 1H), 7.62 (d, *J* = 7.2 Hz, 1H), 7.44 (td, *J* = 7.8, 0.9 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 6.98 – 6.87 (m, 2H), 4.44 (q, *J* = 9.1 Hz, 1H), 3.26 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.6, 173.2, 171.9, 164.9, 162.4, 148.3, 143.3, 142.1 (d, J_{CF} = 12.7 Hz), 132.0, 129.5 (d, J_{CF} = 9.6 Hz), 124.5, 124.4, 123.1 (q, J_{CF} = 279.8 Hz), 120.2 (d, J_{CF} = 3.0 Hz), 112.2 (d, J_{CF} = 22.4 Hz), 109.4, 104.1 (d, J_{CF} = 30.1 Hz), 85.9, 69.1, 67.5, 58.3 (q, J_{CF} = 28.9 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.88, -108.19. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{21}F_4N_3NaO_4S]^+$: 558.1081, found: 558.1067; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=9.7min, t_{minor}=7.9 min.

Compound 3i. White solid, 86% yield, >20:1 *dr*, 95% *ee*, $[a]_D^{20}$ = -48.85(c=0.20,CH_3OH), Mp.164.2-165.8℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 8.4 Hz, 1H), 8.26 (s, 1H), 8.01 (d, *J* = 2.0 Hz, 1H), 7.62 (dd, *J* = 7.4, 0.5 Hz, 1H), 7.45 (td, *J* = 7.8, 1.1 Hz, 1H), 7.23 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.21 (td, *J* = 7.7, 0.8 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.45 (q, *J* = 9.1 Hz, 1H), 3.27 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.3, 172.9, 171.9, 148.3, 143.3, 141.7, 136.2, 132.0, 129.0, 125.7, 125.5, 124.5, 124.4, 123.2, 123.1 (q, J_{CF} = 280.1 Hz), 116.0, 109.4, 86.0, 69.1, 67.6, 58.3 (q, J_{CF} = 29.1 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.83. HRMS (ESI):*m/z*

$[M+Na]^+$ calcd. for $[C_{25}H_{21}ClF_3N_3NaO_4S]^+$: 574.0786, found: 574.0791 ; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=8.0min, t_{minor}=6.3 min.

Compound 3j. White solid, 87% yield, >20:1 *dr*, 97% *ee*, $[a]_D^{20}$= -37.62(c=0.29,CH_2Cl_2), Mp.168.1-169.6℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, *J* = 8.3 Hz, 1H), 8.21 (s, 1H), 8.18 (d, *J* = 1.8 Hz, 1H), 7.62 (d, *J* = 7.3 Hz, 1H), 7.45 (td, *J* = 7.8, 0.9 Hz, 1H), 7.39 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.45 (q, *J* = 9.1 Hz, 1H), 3.27 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.2, 172.7, 171.9, 148.3, 143.3, 141.8, 132.0, 129.3, 128.5, 125.6, 124.6, 124.4, 124.3, 123.7, 123.0 (q, J_{CF} = 286.7 Hz), 118.8, 109.4, 86.0, 69.1, 67.6, 58.3 (q, J_{CF} = 28.9 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.82. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{21}BrF_3N_3NaO_4S]^+$: 618.0280, found: 618.0294; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=10.4min, t_{minor}=7.3 min.

Compound 3k. White solid, 75% yield, >20:1 *dr,* 98% *ee*, $[a]_D^{20}$= -29.25(c=0.20,CH_3OH), Mp.222.3-224.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (s, 1H), 8.19 (d, *J* = 7.5 Hz, 1H), 7.61 (d, *J* = 7.4 Hz, 1H), 7.44 (t, *J* = 7.7 Hz, 1H), 7.25 – 7.12 (m, 3H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.45 (q, *J* = 9.1 Hz, 1H), 3.26 (s, 3H), 1.60 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.0, 172.8, 171.9, 150.0, 147.5, 146.8, 143.3, 132.0, 127.9 (t, J_{CF} = 5.0 Hz), 126.3 (d, J_{CF} = 6.8 Hz), 125.7, 124.6, 124.4, 123.9 (d, J_{CF} = 1.3 Hz), 123.1 (q, J_{CF} = 279.8 Hz), 118.5 (d, J_{CF} = 20.2 Hz), 109.4, 85.9, 69.1, 68.2, 58.6 (q, J_{CF} = 28.9 Hz), 27.8, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.92, -119.40. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{21}F_4N_3NaO_4S]^+$: 558.1081, found: 558.1067; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=95/5, 1.0 mL·min^{-1}, 254 nm): t_{major}=27.7min, t_{minor}=34.1 min.

Compound 3l. White solid, 80% yield, >20:1 *dr*, 90% *ee*, $[a]_D^{20}$= -38.25(c=0.28,CH_3OH), Mp.159.6-161.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (t, *J* = 9.1 Hz, 1H), 8.25 (s, 1H), 7.88 (dd, *J* = 11.3, 7.0 Hz, 1H), 7.61 (d, *J* = 7.0 Hz, 1H), 7.45 (td, *J* = 7.8, 1.0 Hz, 1H), 7.20 (t, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 7.8 Hz, 1H), 4.43 (q, *J* = 9.0 Hz, 1H), 3.29 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.8, 172.5, 171.9, 150.8 (dd, J_{CF} = 330.7, 13.3 Hz), 148.4 (dd, J_{CF} = 326.9, 13.3 Hz), 148.3, 143.3, 137.1 (dd, J_{CF} = 10.4, 2.5 Hz), 132.1, 125.3, 124.5, 124.4, 123.2 (q, J_{CF} = 316.1 Hz), 120.4 (dd, J_{CF} = 7.5, 4.0 Hz), 117.4 (d, J_{CF} = 22.3 Hz), 109.5, 105.9 (d, J_{CF} = 25.4 Hz), 86.1, 69.0, 67.5, 58.1 (q, J_{CF} = 28.9 Hz), 28.1, 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.86, -132.49 (d, *J* = 21.2 Hz), -140.24 (d, *J* = 21.2 Hz). HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{20}F_5N_3NaO_4S]^+$: 576.0987, found: 576.0975; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=7.4min, t_{minor}=5.6 min.

Compound 3m. White solid, 94% yield, >20:1 *dr*, >99% *ee*, $[a]_D^{20}$= –31.65 (c=0.26, CH_3OH), Mp.178.6-179.4℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 7.7 Hz, 1H), 8.29 (s, 1H), 7.93 (d, *J* = 8.2 Hz, 1H), 7.45 (s, 1H), 7.41 (t, *J* = 7.9 Hz, 1H), 7.25 – 7.18 (m, 2H), 6.78 (d, *J* = 7.9 Hz, 1H), 4.47 (q, *J* = 9.1 Hz, 1H), 3.24 (s, 3H), 2.34 (s, 3H), 1.66 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.9, 173.4, 171.9, 148.6, 140.9, 140.8, 134.5, 132.1, 130.2, 128.0, 126.0, 125.3, 125.1, 124.8,

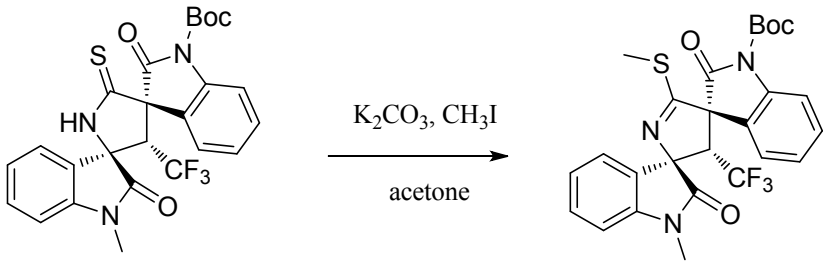
123.2 (q, J_{CF} = 279.2 Hz), 115.2, 109.1, 85.4, 69.24, 68.0, 58.5 (q, J_{CF} = 28.6 Hz), 28.2, 27.3, 21.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.80. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{26}H_{24}F_3N_3NaO_4S]^+$: 554.1332, found: 554.1330; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=7.3min, t_{minor}=11.1 min.

Compound 3n. White solid, 84% yield, >20:1 *dr*, >99% *ee*, $[a]_D^{20}$ = -36.23(c=0.10,CH_3OH), Mp.178.6-180.2℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (s, 1H), 8.32 (d, *J* = 7.7 Hz, 1H), 7.93 (d, *J* = 8.2 Hz, 1H), 7.42 (dd, *J* = 11.6, 4.5 Hz, 2H), 7.26 (t, *J* = 7.6 Hz, 1H), 7.14 (td, *J* = 8.6, 2.3 Hz, 1H), 6.83 (dd, *J* = 8.5, 3.8 Hz, 1H), 4.42 (q, *J* = 9.1 Hz, 1H), 3.24 (s, 3H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 201.0, 173.2, 171.7, 161.2, 158.7, 148.5, 140.8, 139.3, 130.4, 127.9, 127.4 (d, J_{CF} = 7.7 Hz), 125.4, 124.6, 123.1 (q, J_{CF} = 279.7 Hz), 118.4 (d, J_{CF} = 23.5 Hz), 112.7 (d, J_{CF} = 25.5 Hz), 110.2 (d, J_{CF} = 7.9 Hz), 85.5, 69.1, 67.9, 58.5 (q, J_{CF} = 28.9 Hz), 28.2, 27.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.76, -116.83. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{21}F_4N_3NaO_4S]^+$: 558.1081, found: 558.1074; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=11.3min, t_{minor}=24.9 min.

Compound 3o. White solid, 91% yield, >20:1 *dr*, 91% *ee*, $[a]_D^{20}$ = -31.20(c=0.31,CH_3OH), Mp.170.2-172.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.2 Hz, 2H), 7.98 (d, *J* = 8.2 Hz, 1H), 7.61 (d, *J* = 7.4 Hz, 1H), 7.52 (d, *J* = 7.1 Hz, 2H), 7.40 (dq, *J* = 21.1, 7.0 Hz, 5H), 7.31 – 7.25 (m, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 6.89 (d, *J* = 7.8 Hz, 1H), 5.47 (s, 2H), 4.48 (q, *J* = 9.1 Hz, 1H), 3.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.6, 173.2, 171.9, 150.2, 143.3, 140.2, 134.7, 131.9, 130.4, 128.9, 128.7, 128.2, 128.0, 125.9, 125.7, 124.8, 124.5, 124.4, 123.1 (q, J_{CF} = 274.5 Hz), 115.2, 109.4, 69.3, 69.1, 68.0, 58.5 (q, J_{CF} = 29.0 Hz), 27.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.80. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{28}H_{20}F_3N_3NaO_4S]^+$: 574.1019, found: 574.1005; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=16.3min, t_{minor}=22.2 min.

Compound 3p. White solid, 90% yield, >20:1 *dr,* >99% *ee*, $[a]_D^{20}$ = +11.40(c=0.30,CH_3OH), Mp.88.2-90.1℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (s, 1H), 8.38 (d, *J* = 7.7 Hz, 1H), 7.94 (d, *J* = 8.2 Hz, 1H), 7.63 (d, *J* = 7.4 Hz, 1H), 7.41 (t, *J* = 7.9 Hz, 1H), 7.33 – 7.19 (m, 9H), 7.15 (t, *J* = 7.6 Hz, 1H), 6.76 (d, *J* = 7.8 Hz, 1H), 4.53 (q, *J* = 9.2 Hz, 1H), 1.65 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.8, 173.3, 172.1, 148.6, 142.6, 140.8, 134.7, 131.8, 130.3, 129.1, 129.1, 128.2, 128.0, 127.4, 125.9, 125.4, 124.8, 124.7, 124.6, 124.5, 123.2 (q, J_{CF} = 260.9 Hz), 115.2, 110.7, 110.4, 85.4, 69.2, 68.0, 58.5 (q, J_{CF} = 28.9 Hz), 45.0, 28.2, 27.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.37. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{31}H_{26}F_3N_3NaO_4S]^+$: 616.1488, found: 616.1505; The enantiomeric excess was determined by **HPLC** with a Chiralpak IA-H column (hexane/i-PrOH=90/10, 1.0 mL·min^{-1}, 254 nm): t_{major}=11.2min, t_{minor}=8.8 min.

Synthesis of Compound 4

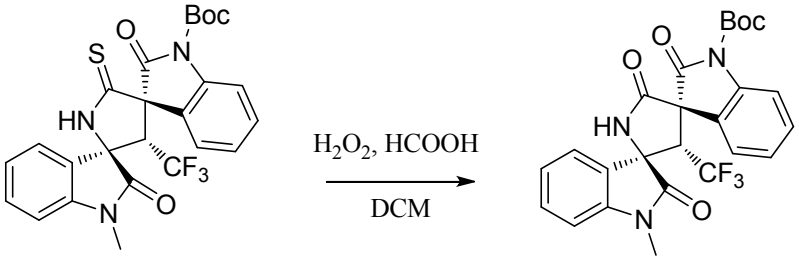


3a **4**

To a solution of **3a** (25.9 mg, 0.05 mmol) and anhydrous K_2CO_3 (7.7 mg, 0.055 mmol) in acetone (1 mL) was added CH_3I (7.75mg, 0.055 mmol) at 0 °C. The reaction was stirred overnight and then concentrated under vacuum. The residue mixture was purified by flash column chromatography on silica gel (petroleum ether /ethyl acetate = 4:1) to give compound **4** as white foam.

Compound 4. White foam, 96% yield, >20:1 *dr*, 99% *ee*, $[a]_D^{20}$ = –26.3 (c=0.23, CH_3OH); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 7.7 Hz, 1H), 7.94 (d, *J* = 8.1 Hz, 1H), 7.50 (d, *J* = 7.4 Hz, 1H), 7.42 (dtd, *J* = 12.4, 8.0, 1.2 Hz, 2H), 7.31 (t, *J* = 7.6 Hz, 1H), 7.19 (t, *J* = 7.2 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 4.33 (q, *J* = 9.7 Hz, 1H), 3.31 (s, 3H), 2.36 (s, 3H), 1.68 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.2, 173.4, 172.5, 148.6, 143.5, 140.0, 130.6, 130.4, 129.8, 128.6, 125.5, 124.1, 123.9, 123.8 (q, *J* = 279.9 Hz), 122.5, 115.1, 108.8, 85.5, 80.4, 69.2, 60.7(q, *J* = 28.1 Hz), 28.2, 27.0, 14.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ -61.55. HRMS (ESI):*m/z* $[M+H]^+$ calcd. for $[C_{26}H_{25}F_3N_3O_4S]^+$: 532.1512, found: 532.1500.

Synthesis of Compound 5



3a **5**

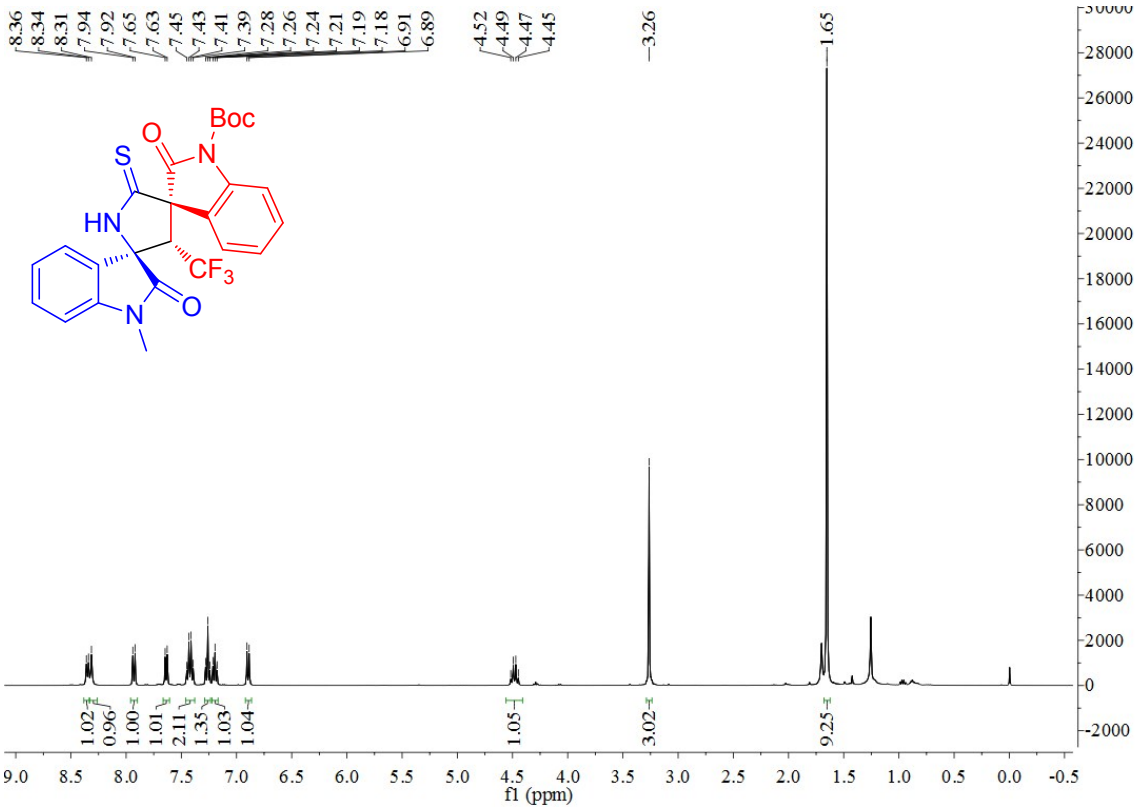
To a solution of **3a** (22 mg, 0.044mmol) in CH_2Cl_2 (0.5 mL) was added successively aqueous H_2O_2 (30%, 0.19 mL) and aqueous HCOOH (98%, 0.16 mL) at 0 °C . The resulting mixture was stirred for 3 h and then quenched with 1 M aqueous K_2CO_3 and extracted with CH_2Cl_2 (three times). The combined organic layers were dried over Na_2SO_4. After evaporation of solvent, the product **5** was obtained after purified by flash column chromatography on silica gel (petroleum ether /ethyl acetate 1:1).

Compound 5. White foam, 83% yield, >99% *ee* $[a]_D^{20}$ = –28.5 (c=0.16, CH_2Cl_2); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.7 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.62 (d, *J* = 7.2 Hz, 1H), 7.41 (dd, *J* = 17.9, 8.0 Hz, 2H), 7.27 (t, *J* = 7.2 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 6.89 (d, *J* = 7.8 Hz, 1H), 6.69 (s, 1H), 4.34 (q, *J* = 9.1 Hz, 1H), 3.26 (s, 3H), 1.64 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$)

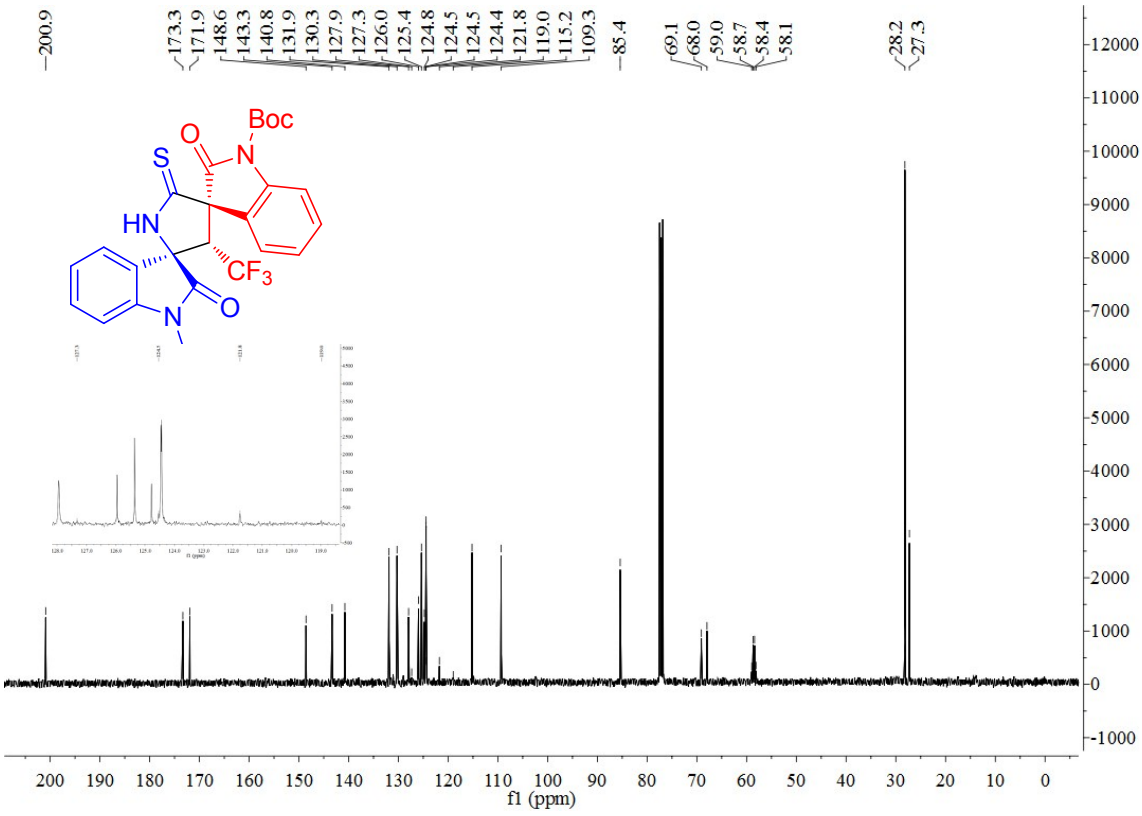
δ 173.4, 172.9, 170.6, 148.5, 143.4, 140.8, 131.5, 130.2, 127.9, 127.1, 125.3, 124.3, 124.1, 123.4 (q, J = 281.0 Hz), 122.3, 115.2, 109.2, 85.4, 62.2, 59.6, 56.9 (q, J = 29.2 Hz), 28.2, 27.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.84. HRMS (ESI):*m/z* $[M+Na]^+$ calcd. for $[C_{25}H_{22}F_3N_3NaO_5]^+$: 524.1726, found: 524.1725.

3. Copies of NMR spectra of compounds

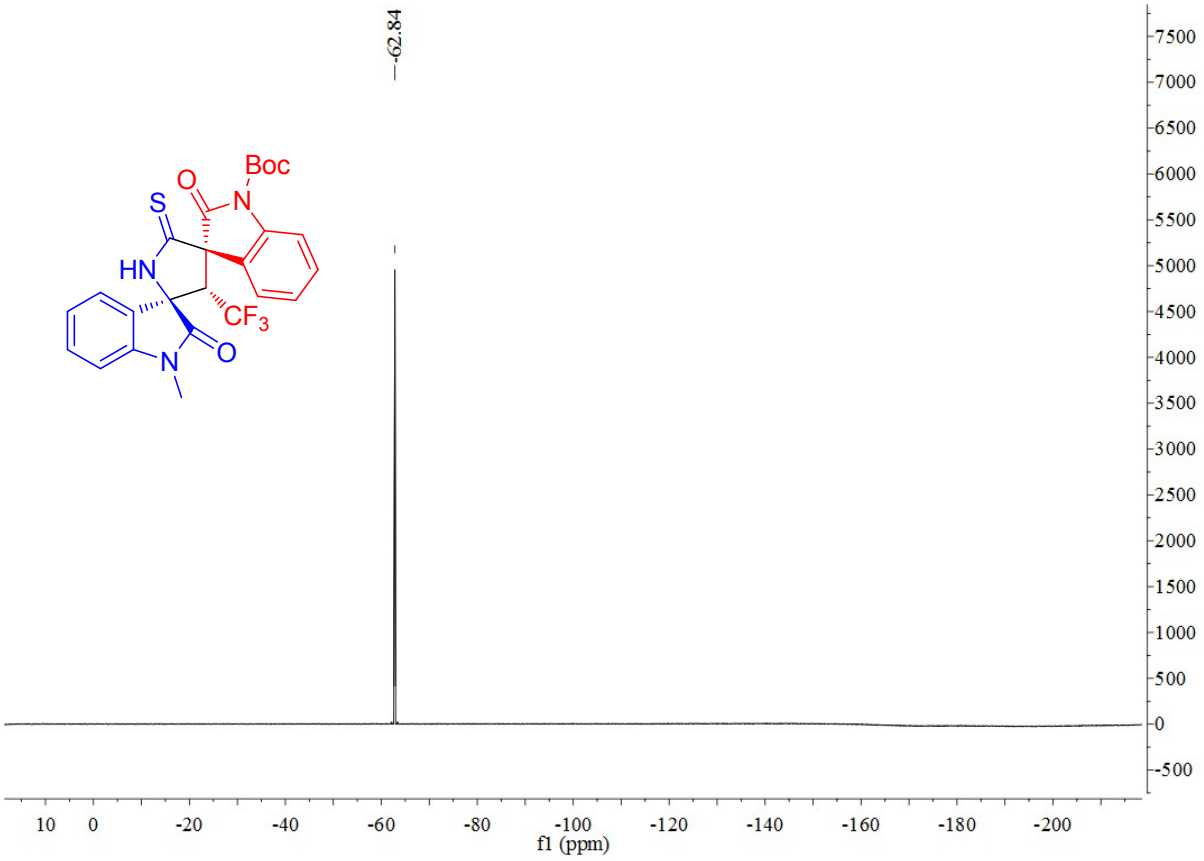
^{1}H NMR of compound **3a** (in $CDCl_3$)



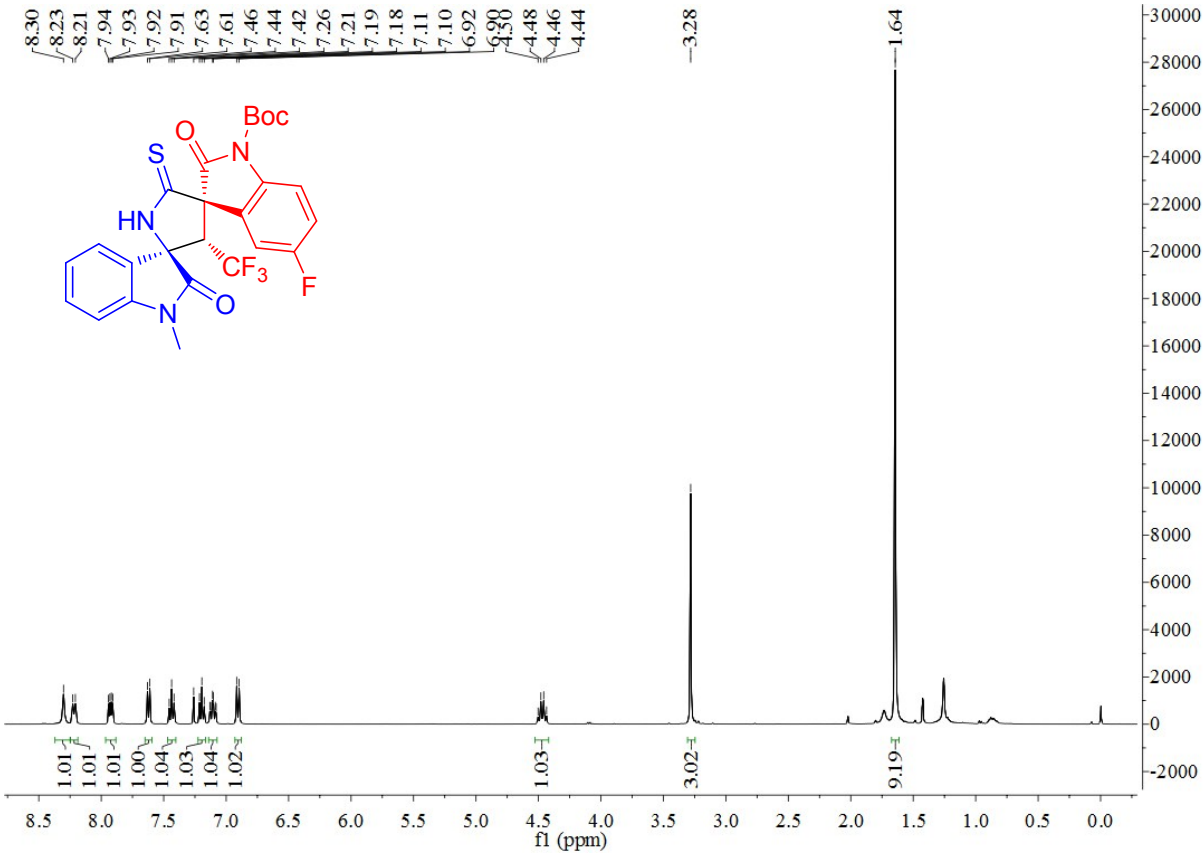
^{13}C NMR of compound **3a** (in $CDCl_3$)



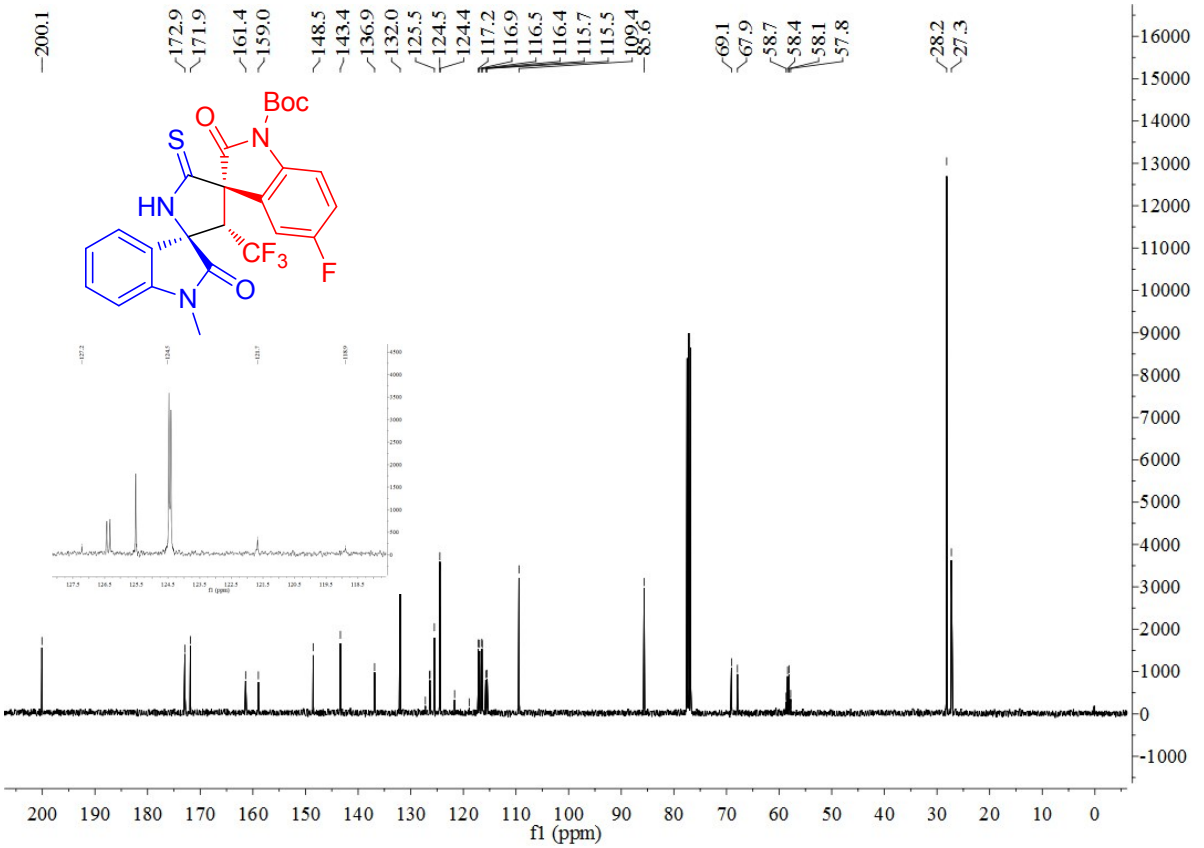
^{19}F NMR of compound **3a** (in $CDCl_3$)



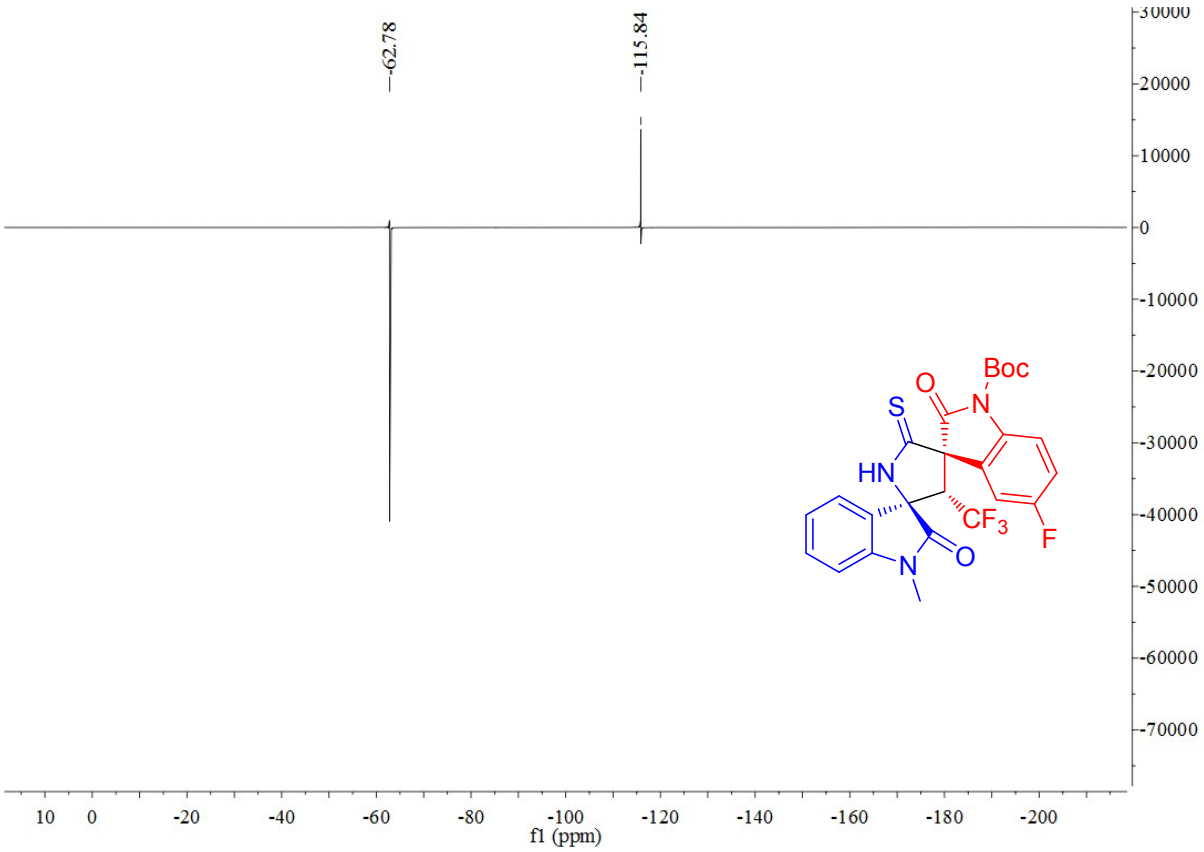
^{1}H NMR of compound **3b** (in $CDCl_3$)



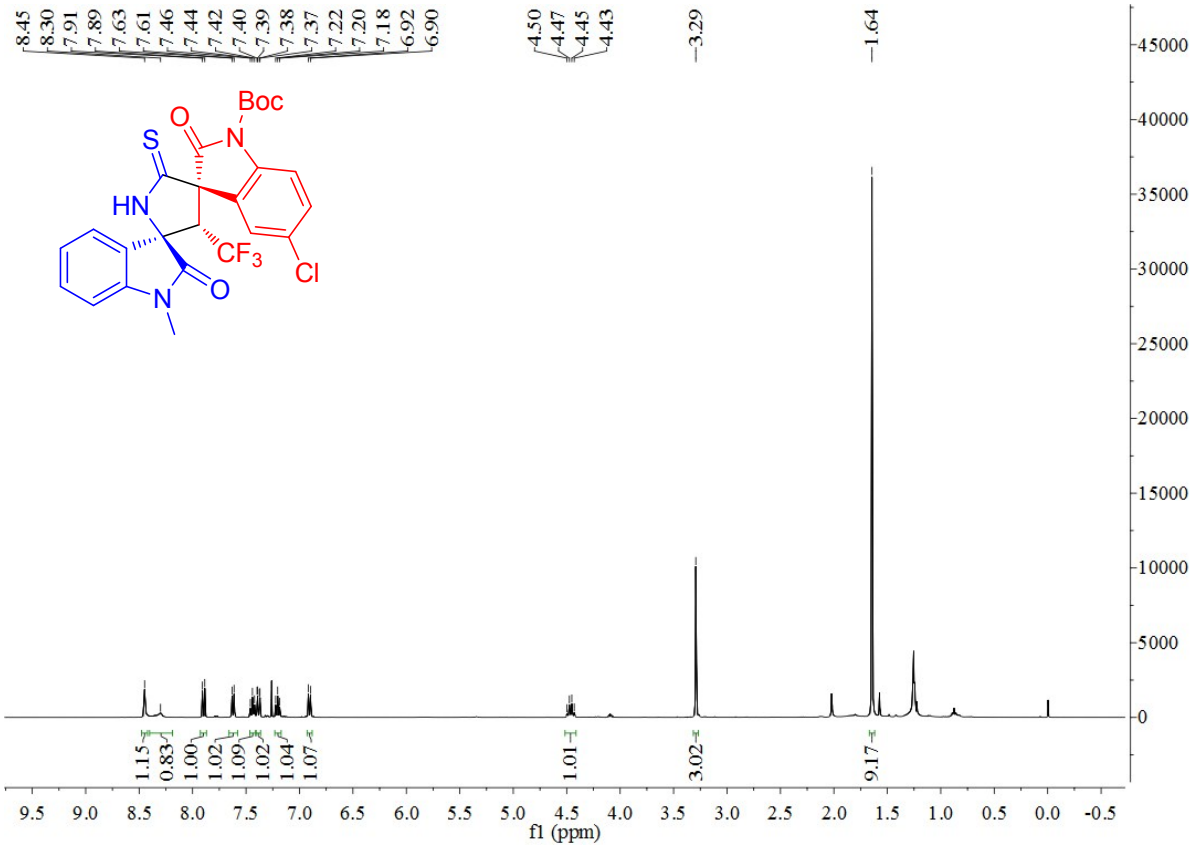
^{13}C NMR of compound **3b** (in $CDCl_3$)



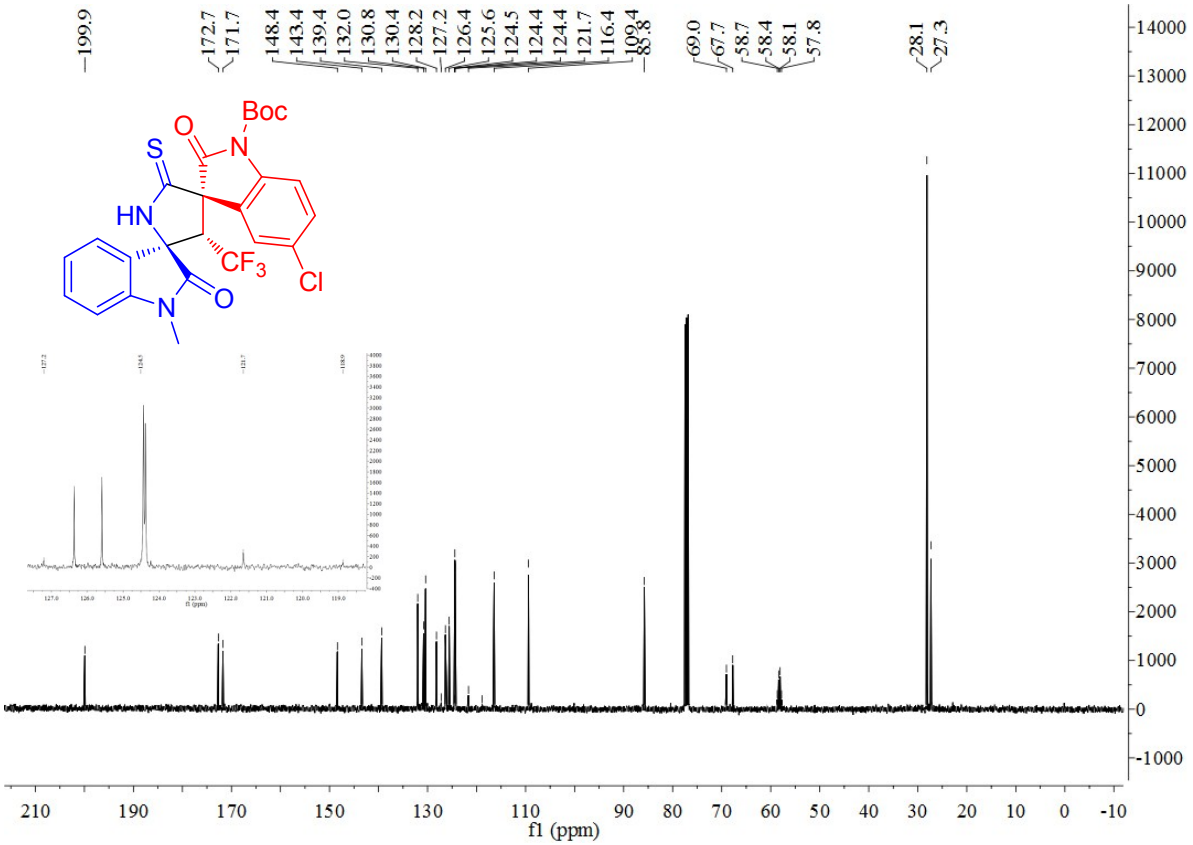
^{19}F NMR of compound **3b** (in $CDCl_3$)



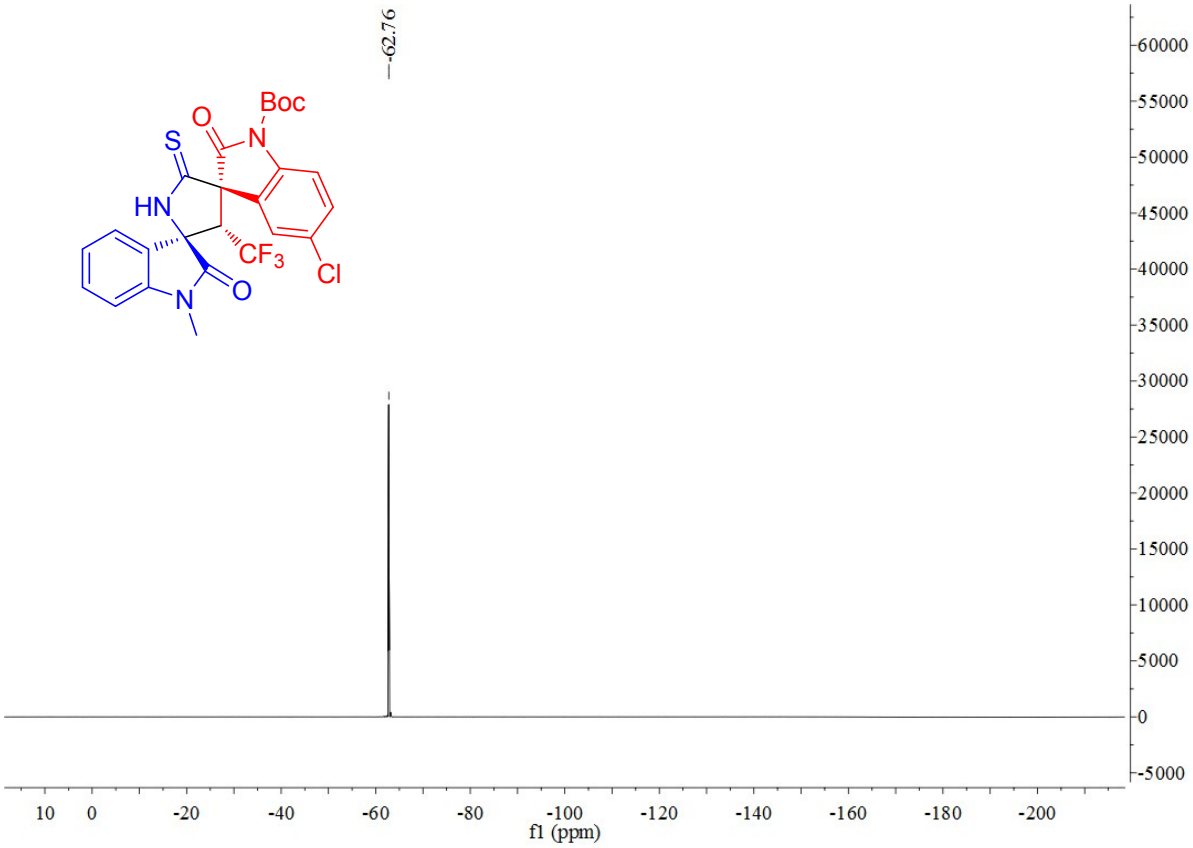
^{1}H NMR of compound **3c** (in $CDCl_3$)



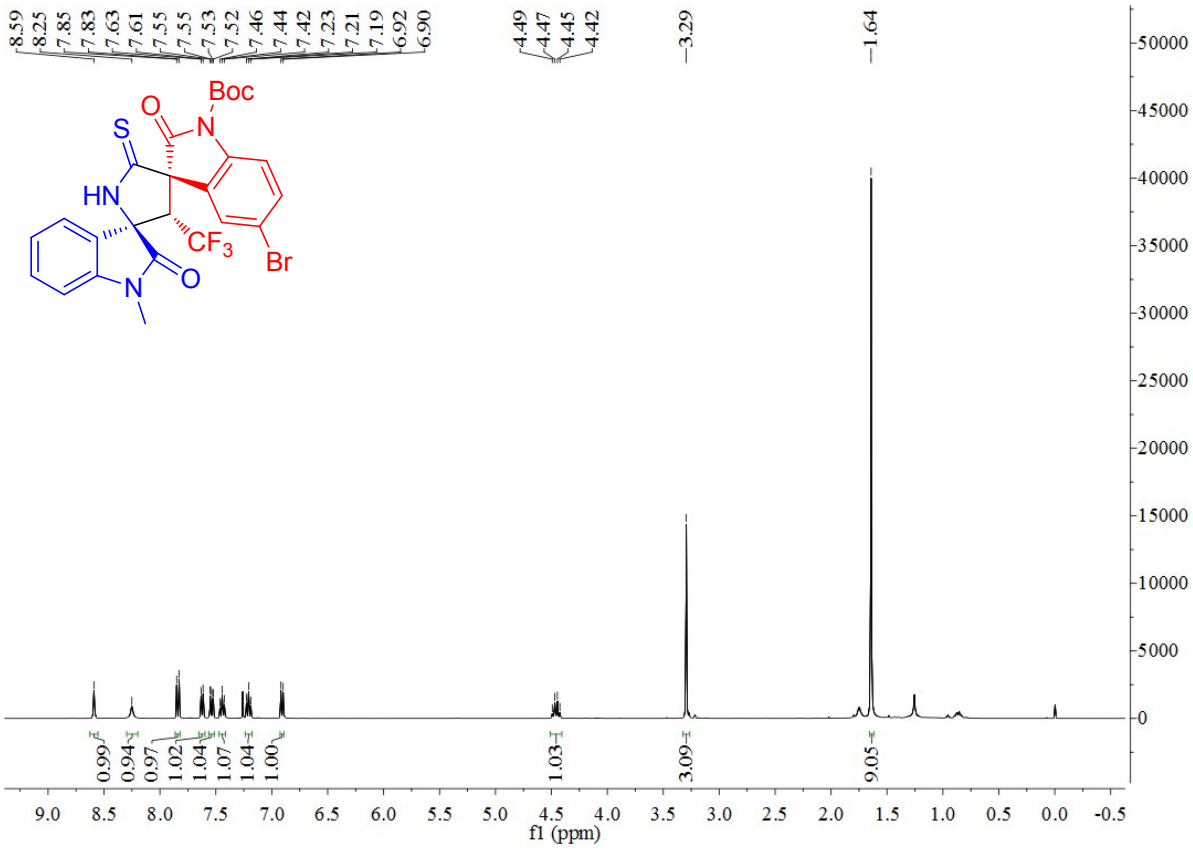
^{13}C NMR of compound **3c** (in $CDCl_3$)



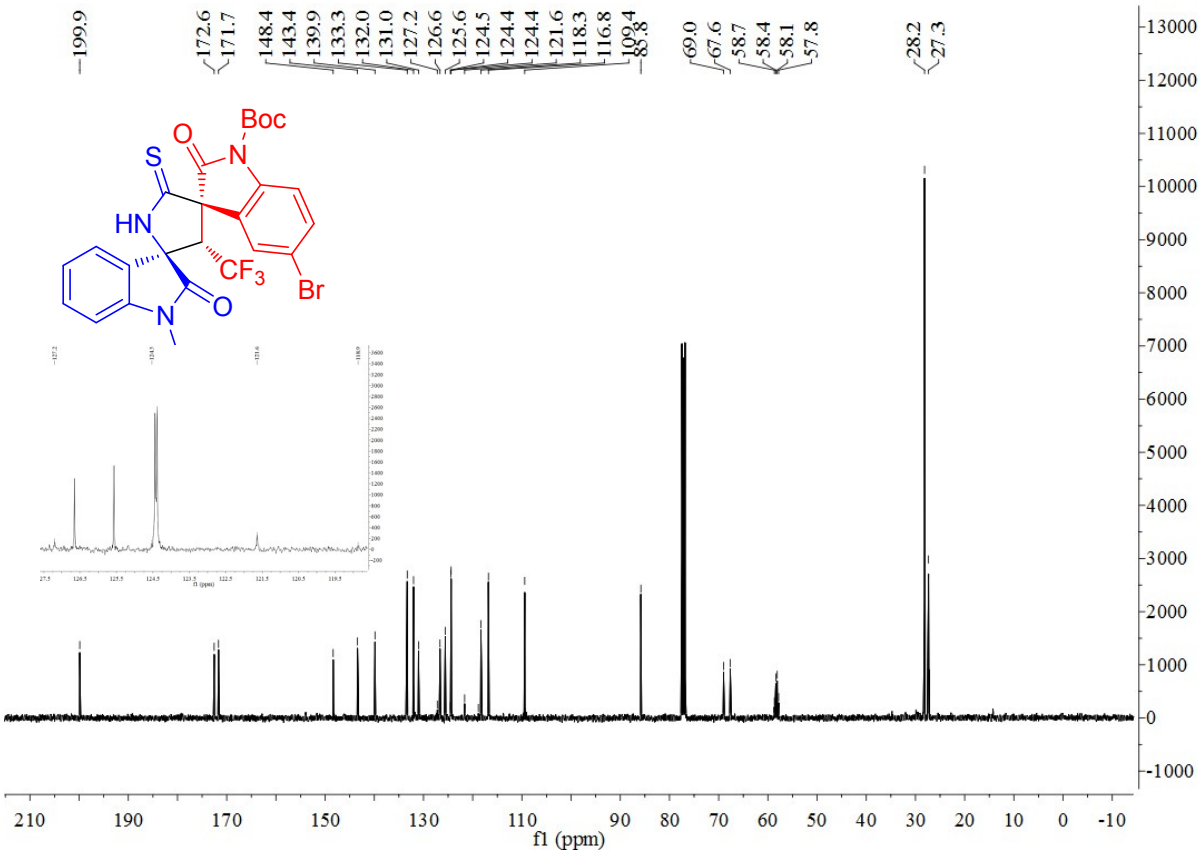
^{19}F NMR of compound **3c** (in $CDCl_3$)



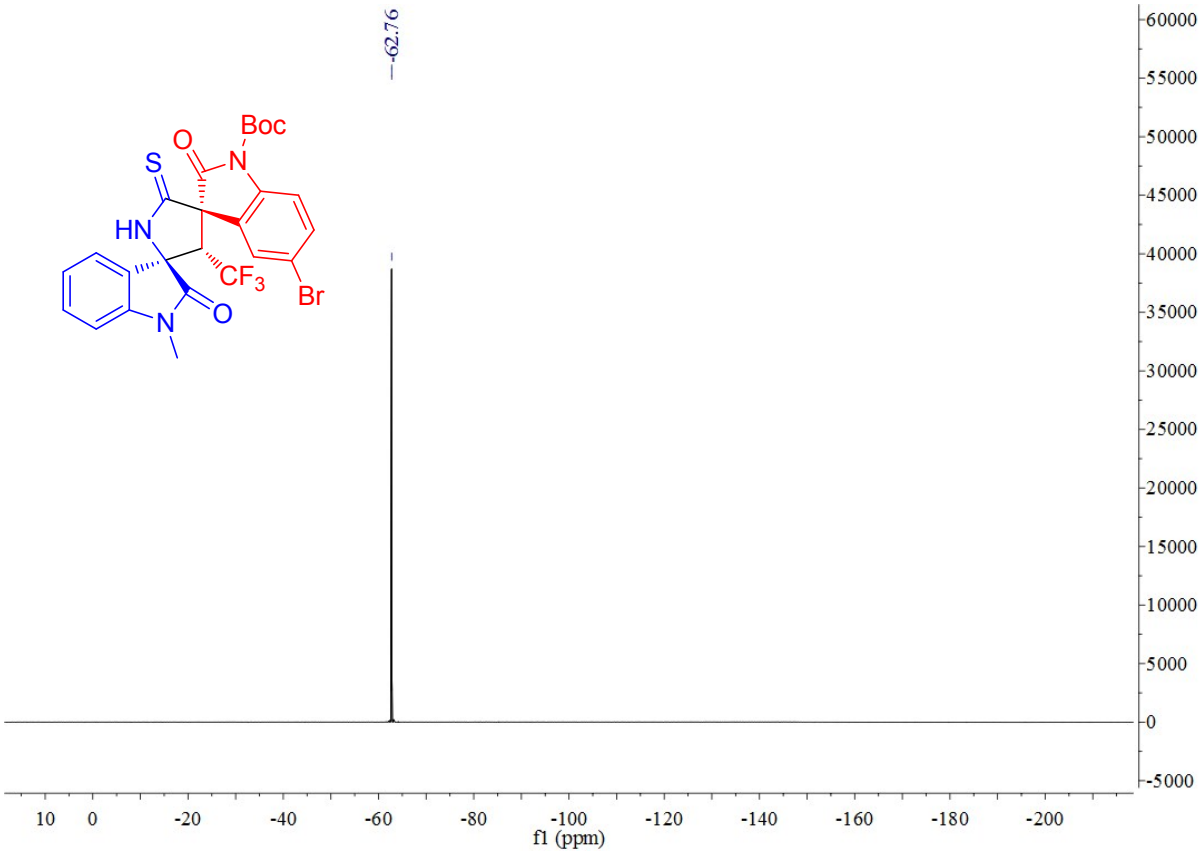
^{1}H NMR of compound **3d** (in $CDCl_3$)



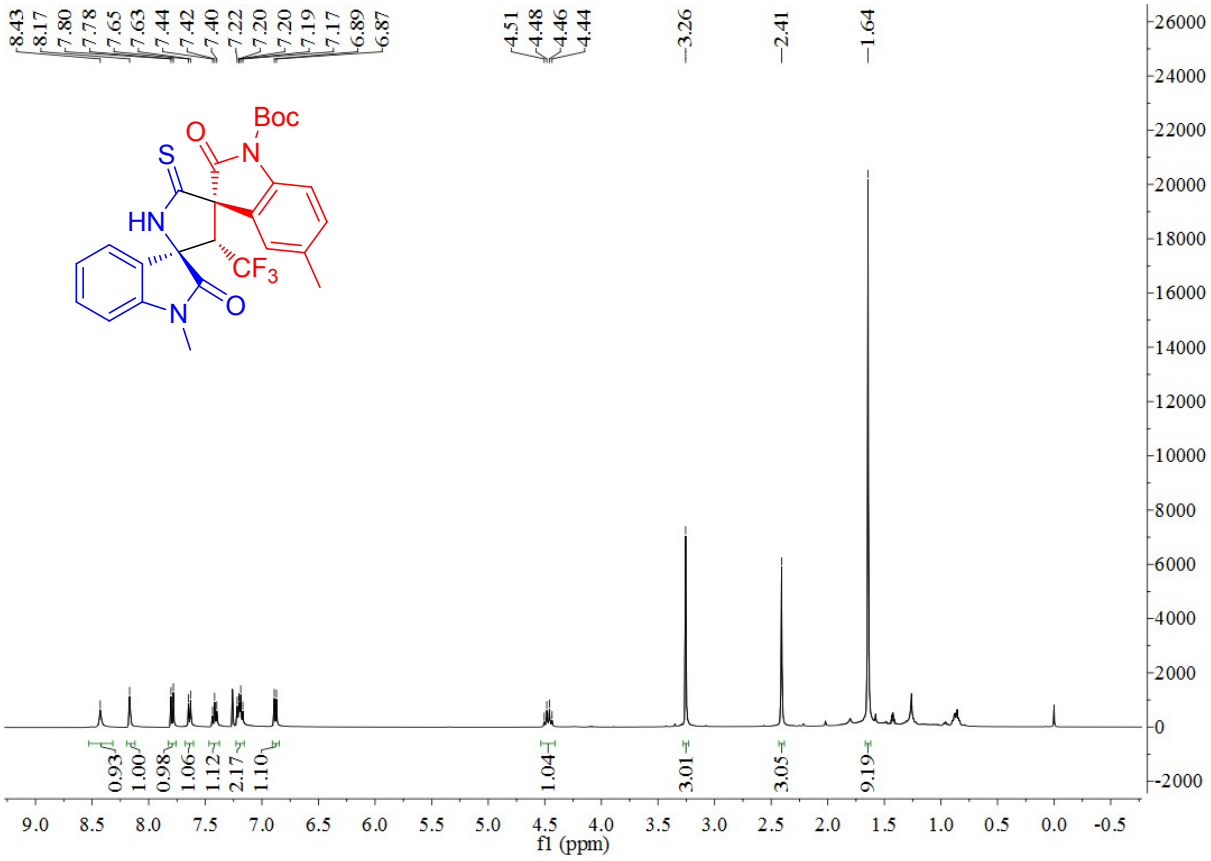
^{13}C NMR of compound **3d** (in $CDCl_3$)



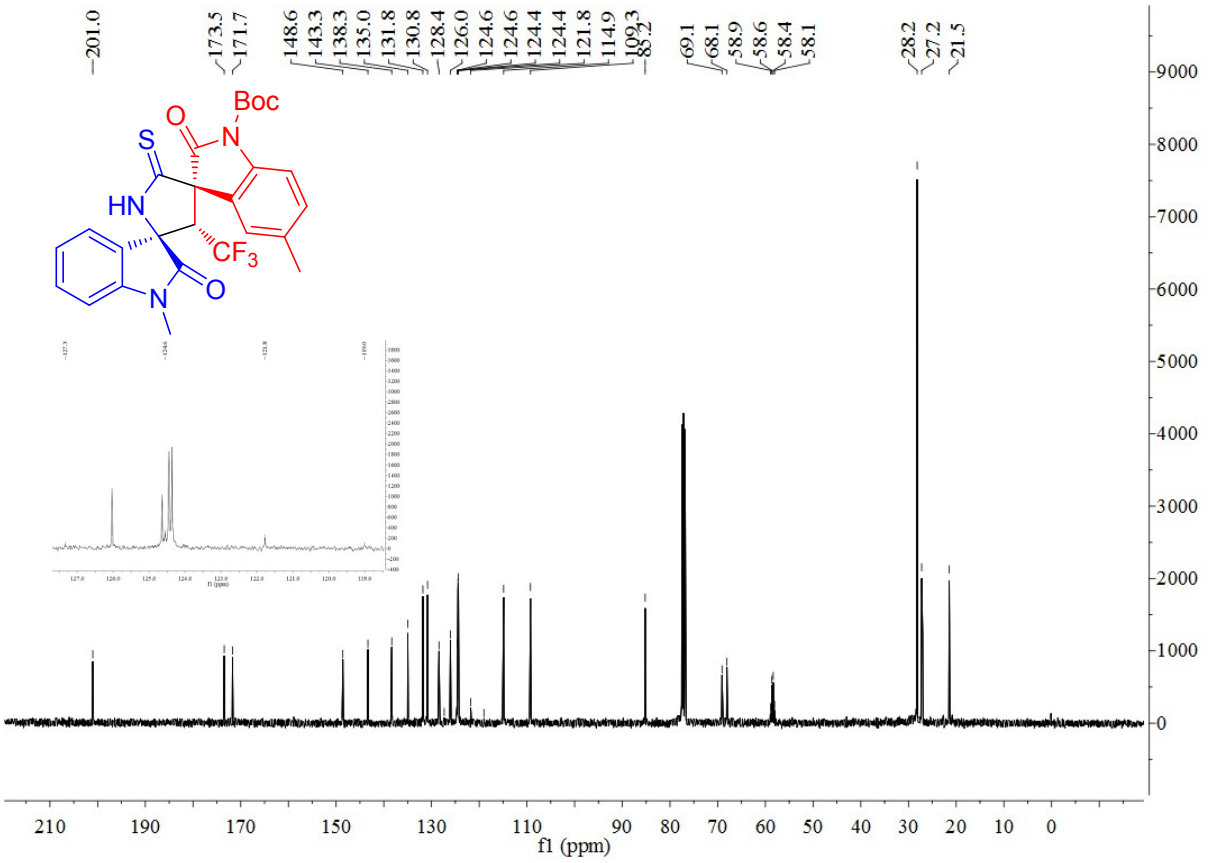
^{19}F NMR of compound **3d** (in $CDCl_3$)



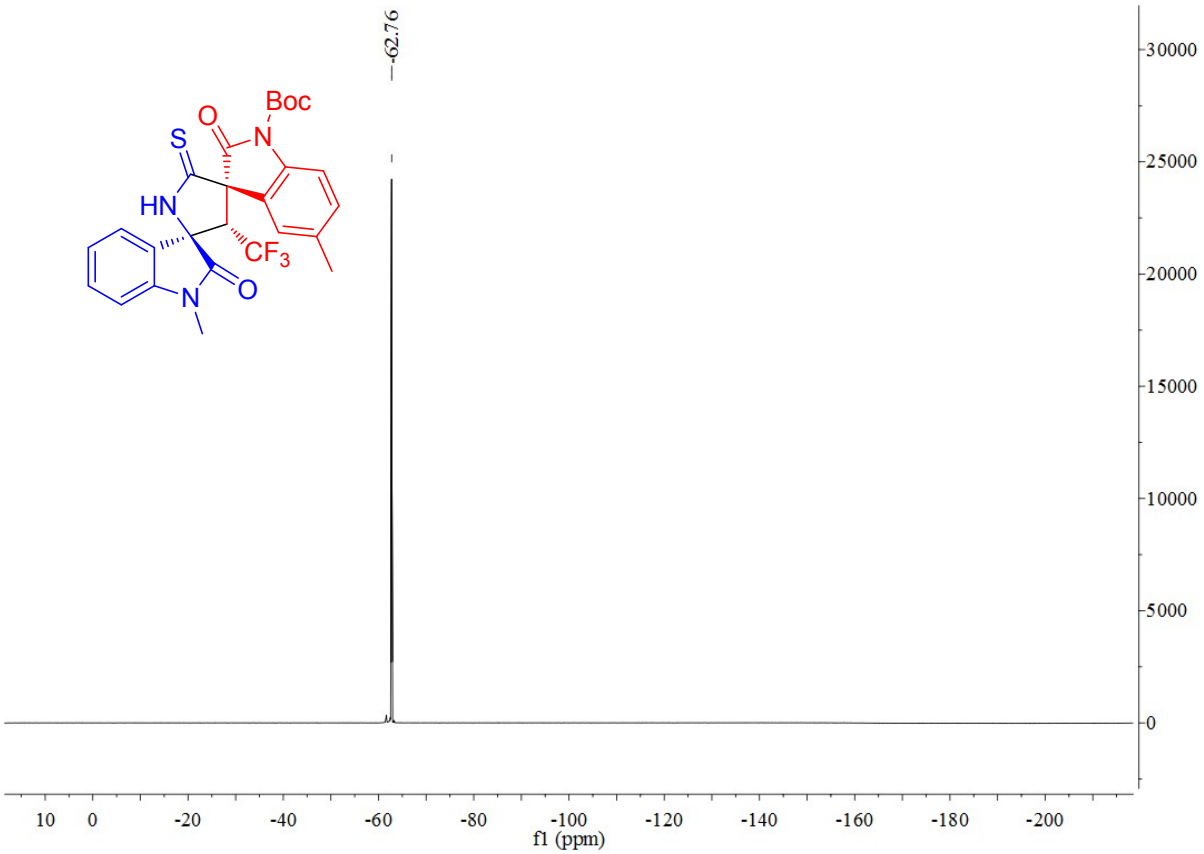
^{1}H NMR of compound **3e** (in $CDCl_3$)



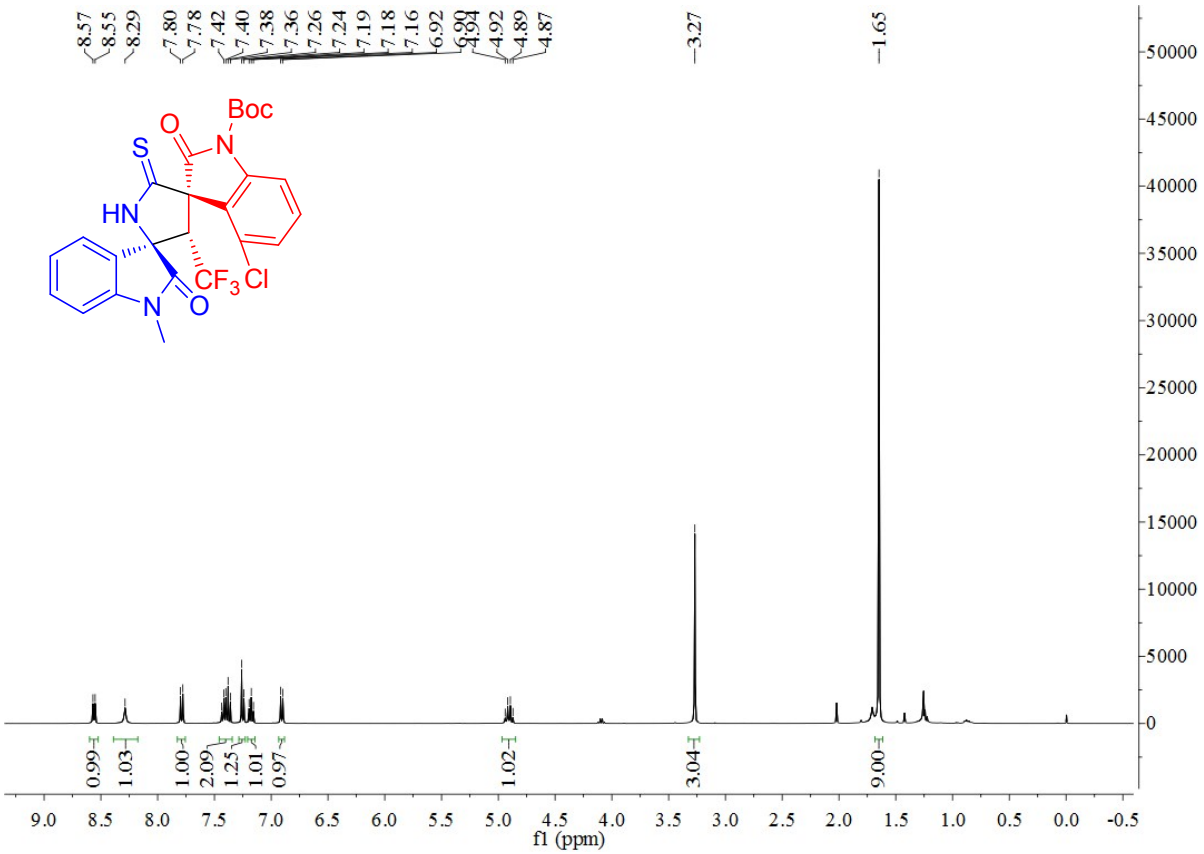
^{13}C NMR of compound **3e** (in $CDCl_3$)



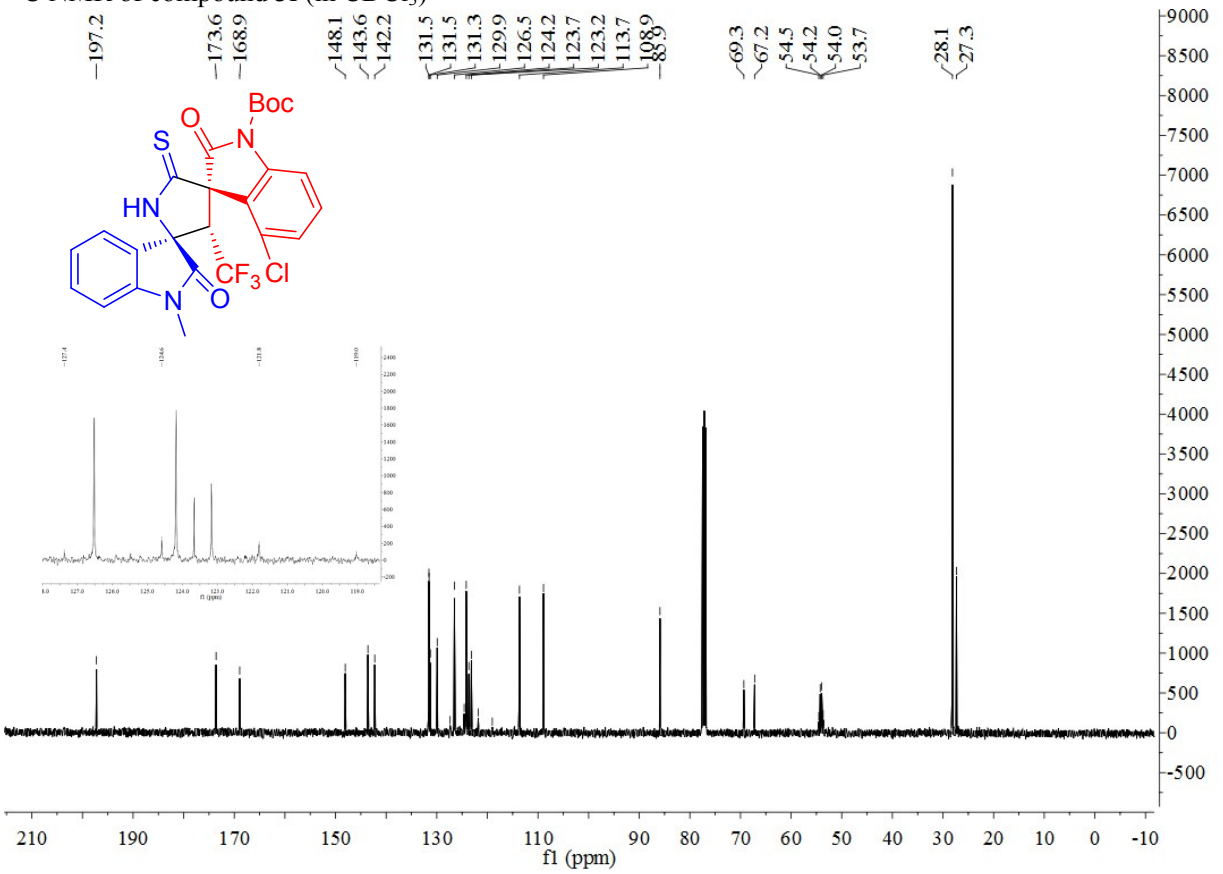
^{19}F NMR of compound **3e** (in $CDCl_3$)



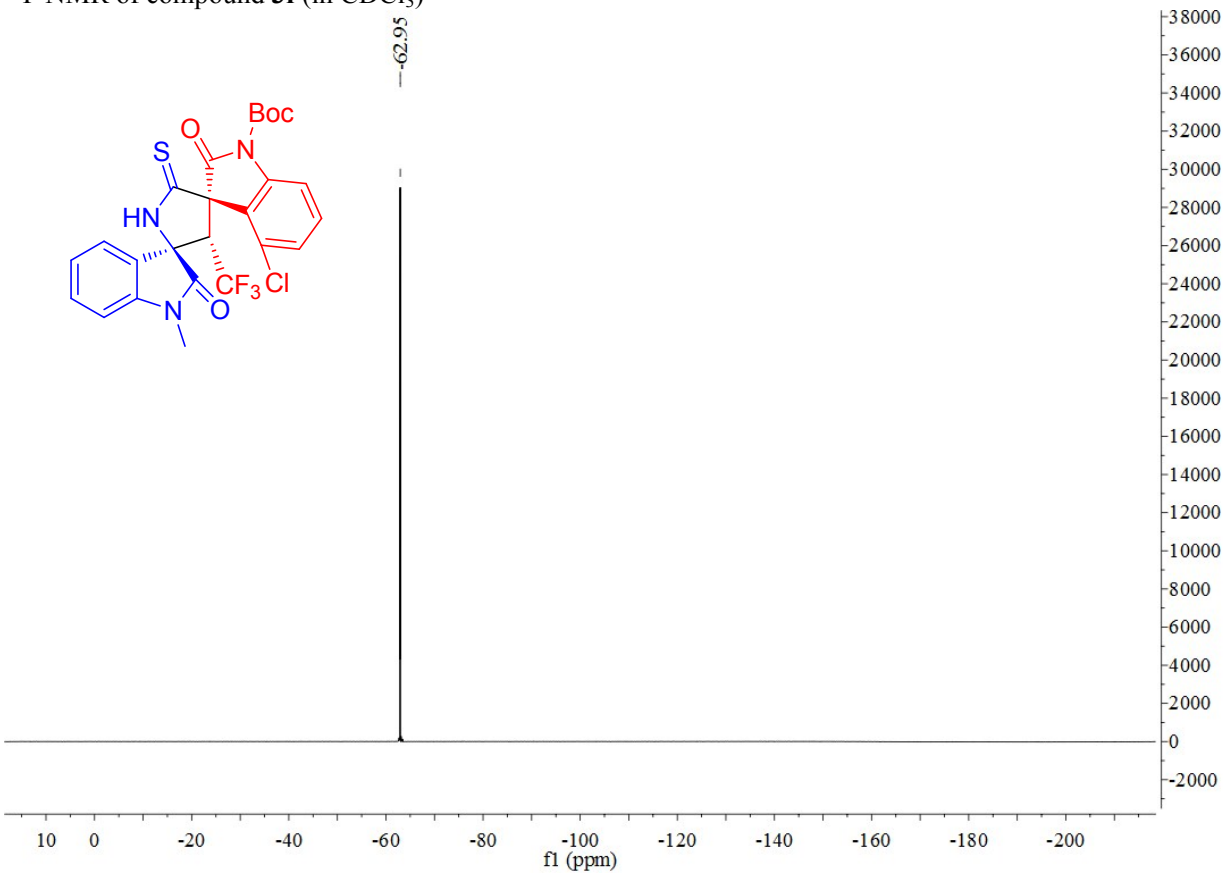
^{1}H NMR of compound **3f** (in $CDCl_3$)



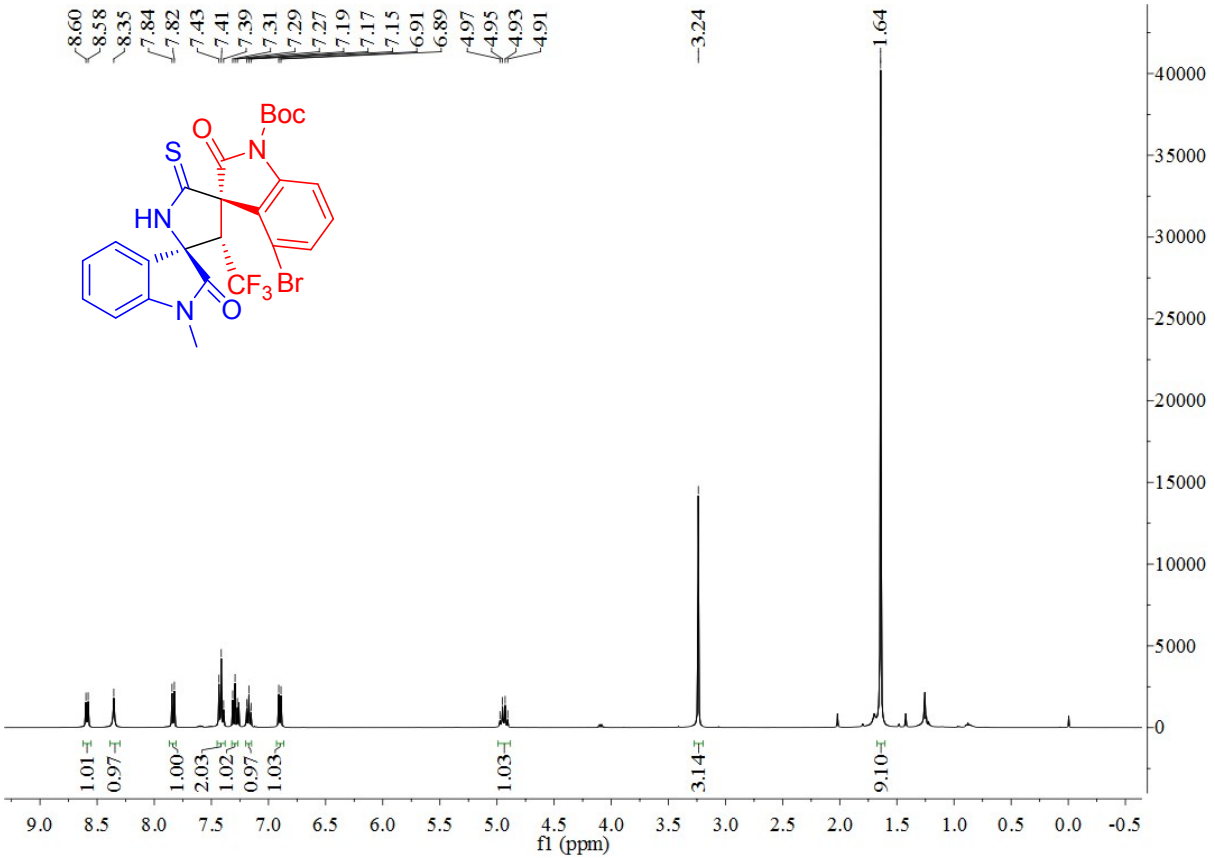
^{13}C NMR of compound **3f** (in $CDCl_3$)



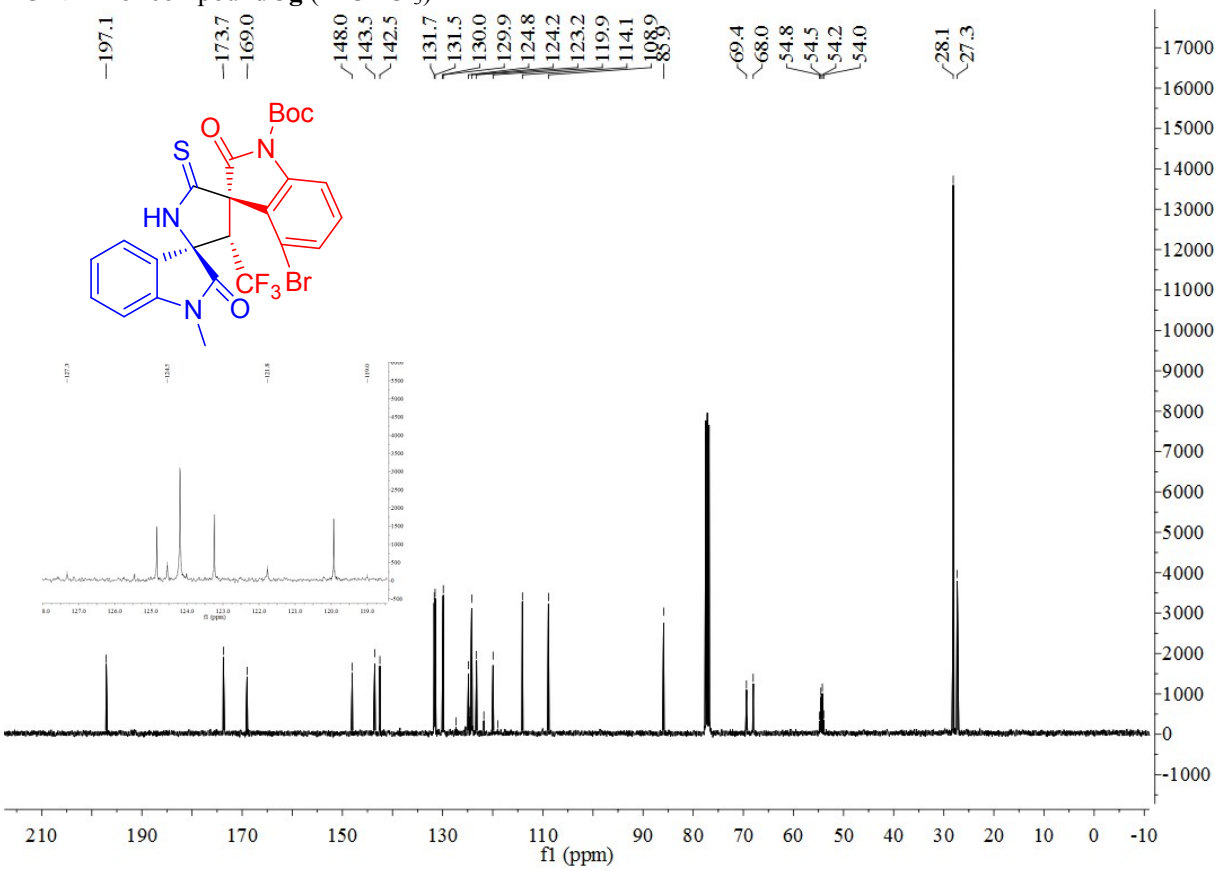
^{19}F NMR of compound **3f** (in $CDCl_3$)



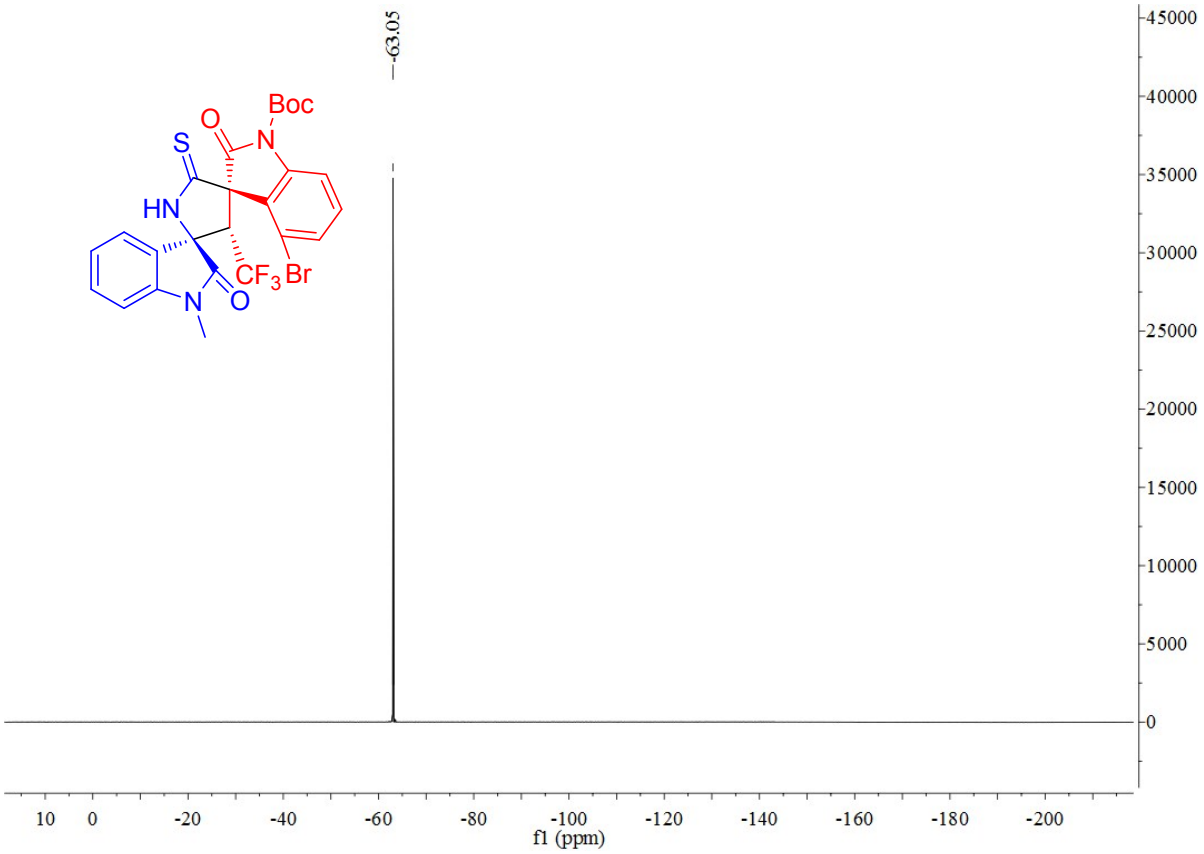
^{1}H NMR of compound **3g** (in $CDCl_3$)



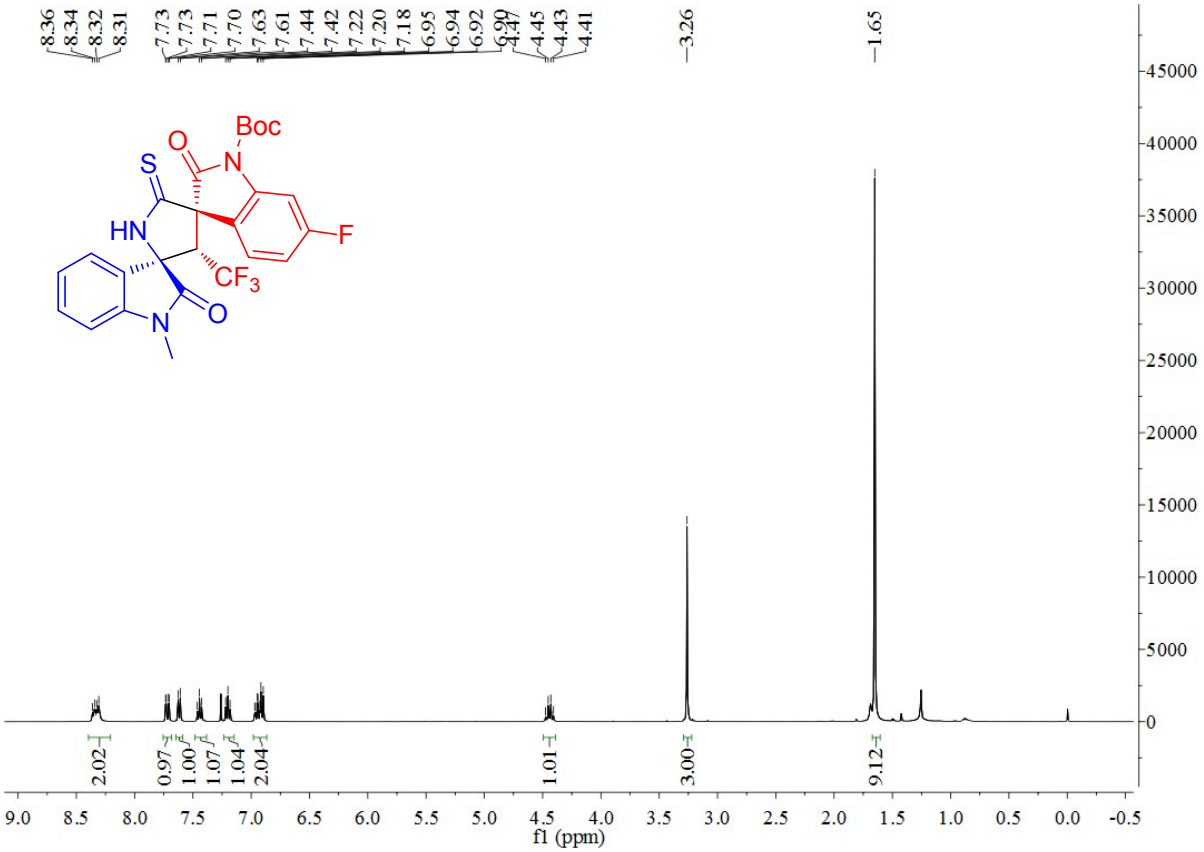
^{13}C NMR of compound **3g** (in $CDCl_3$)



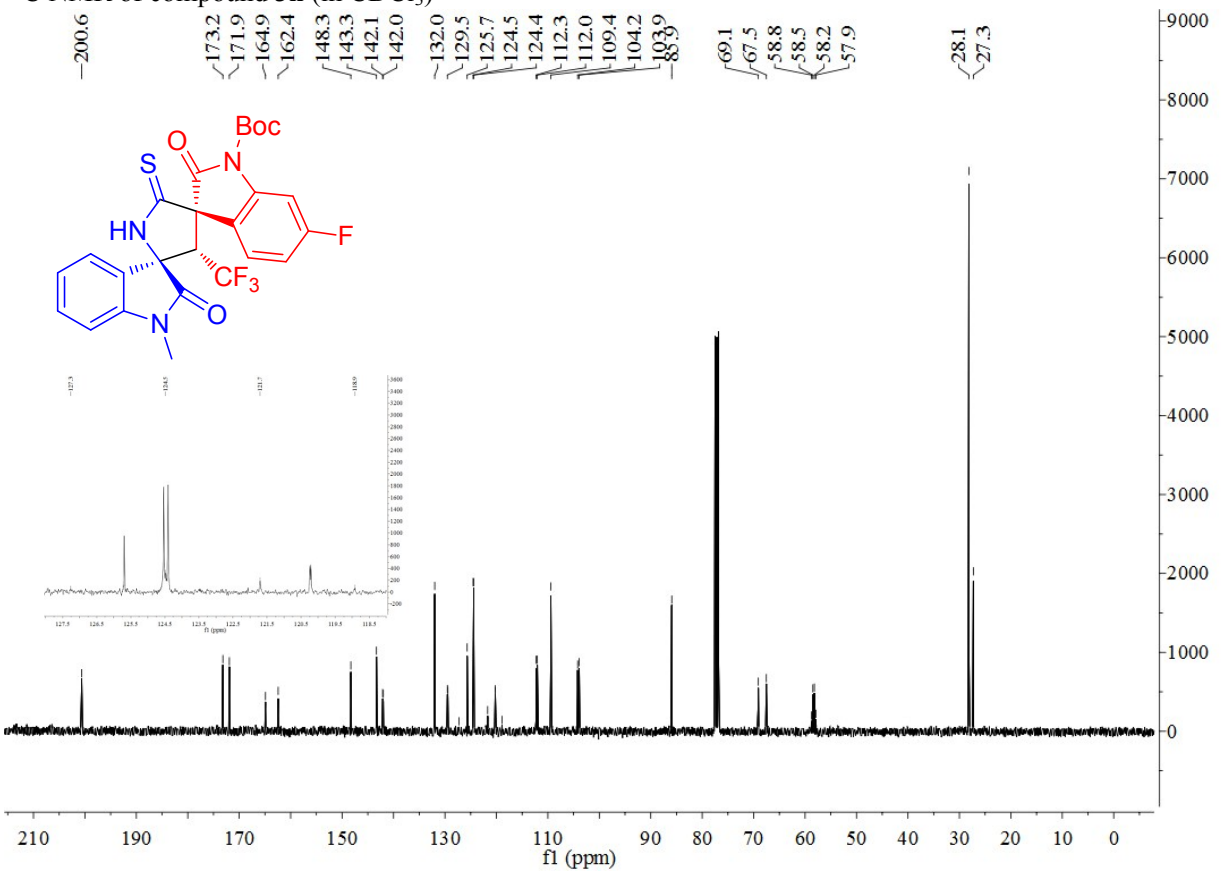
^{19}F NMR of compound **3g** (in $CDCl_3$)



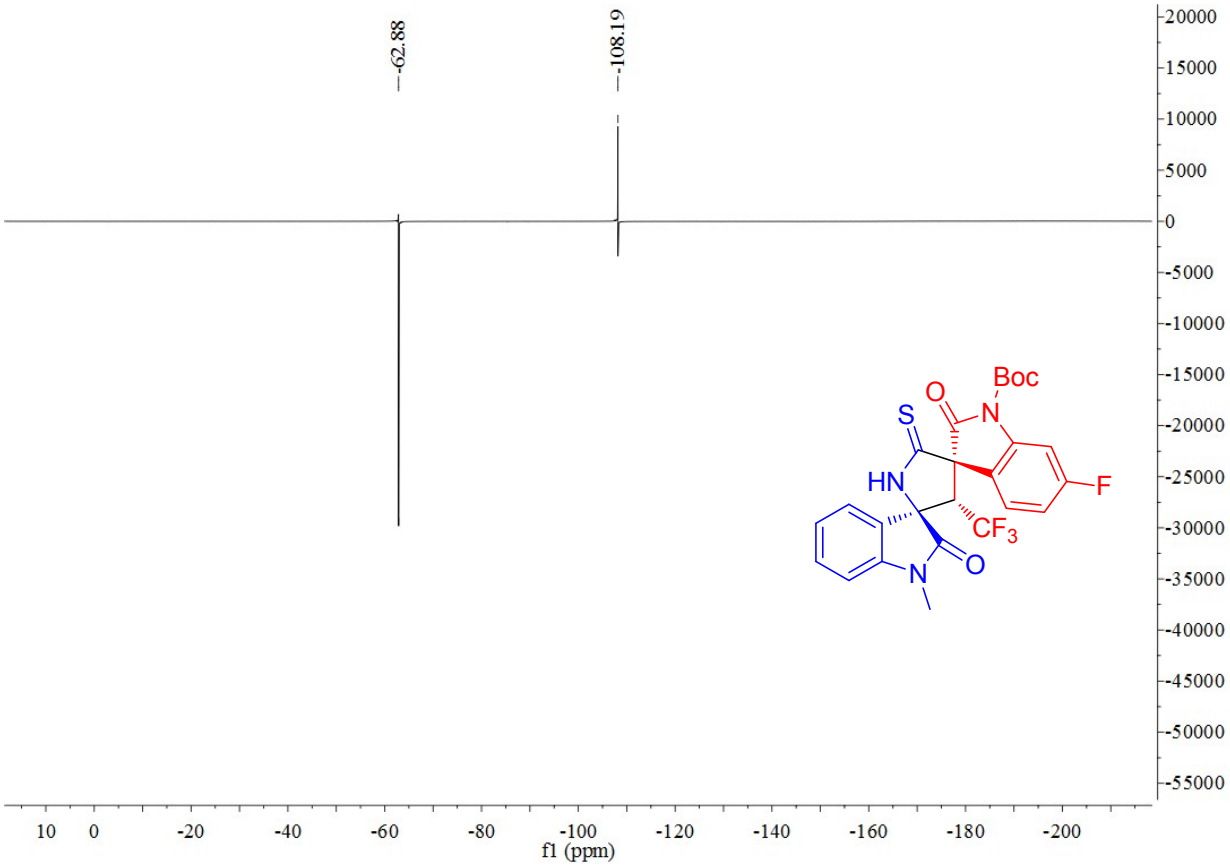
^{1}H NMR of compound **3h** (in $CDCl_3$)



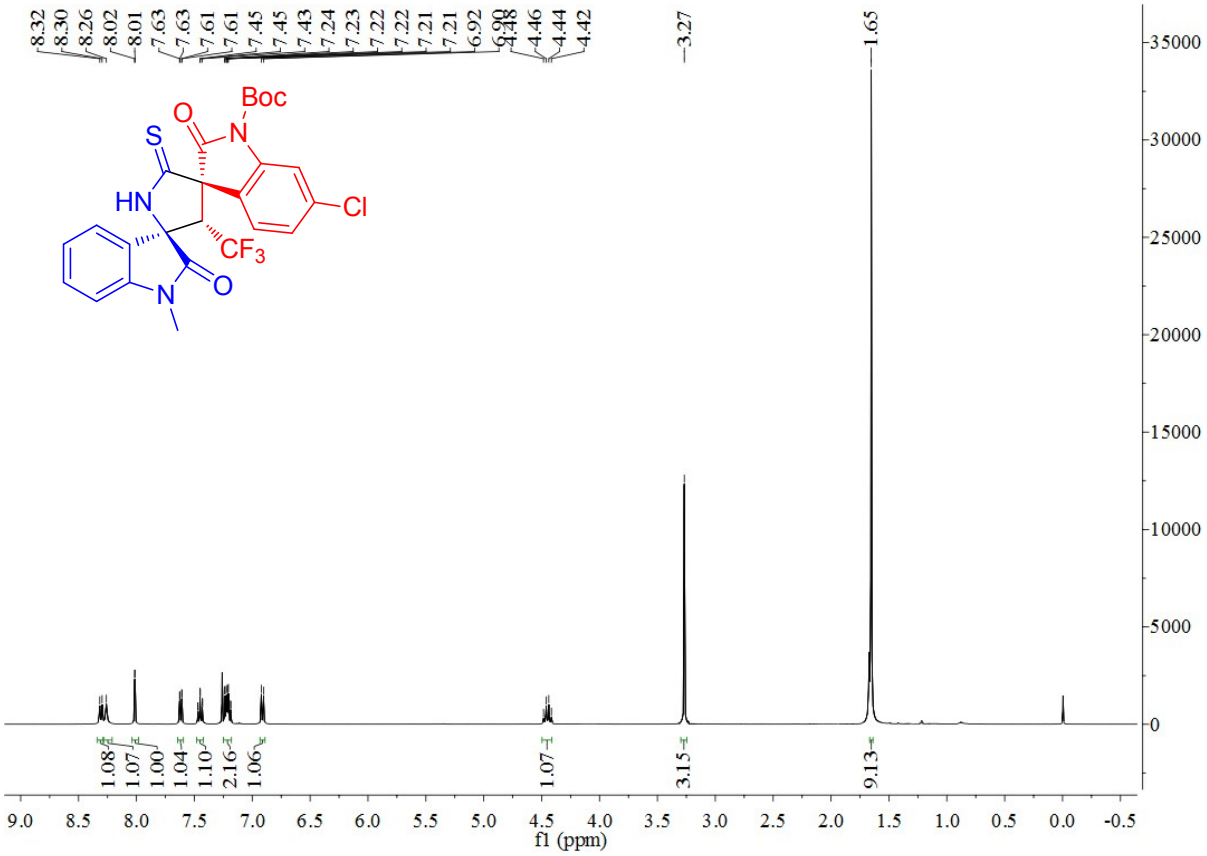
^{13}C NMR of compound **3h** (in $CDCl_3$)



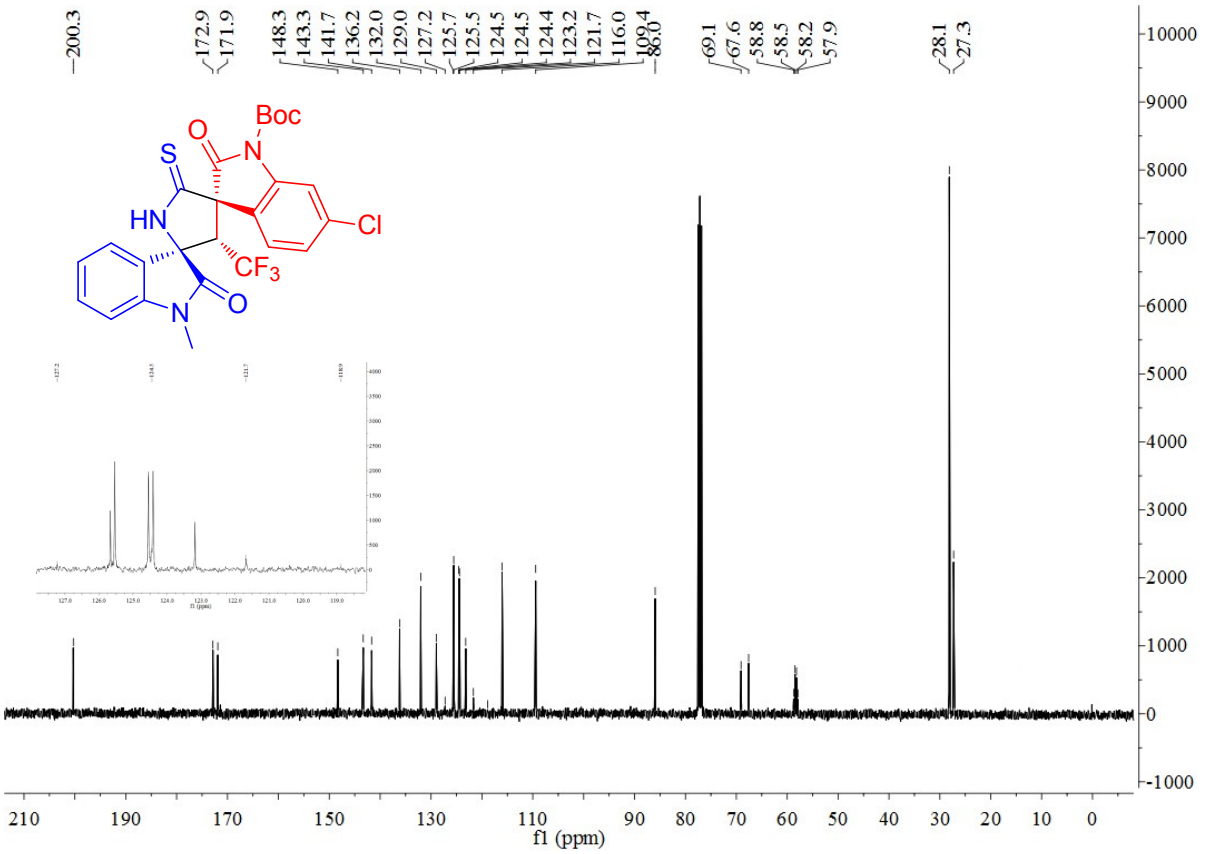
^{19}F NMR of compound **3h** (in $CDCl_3$)



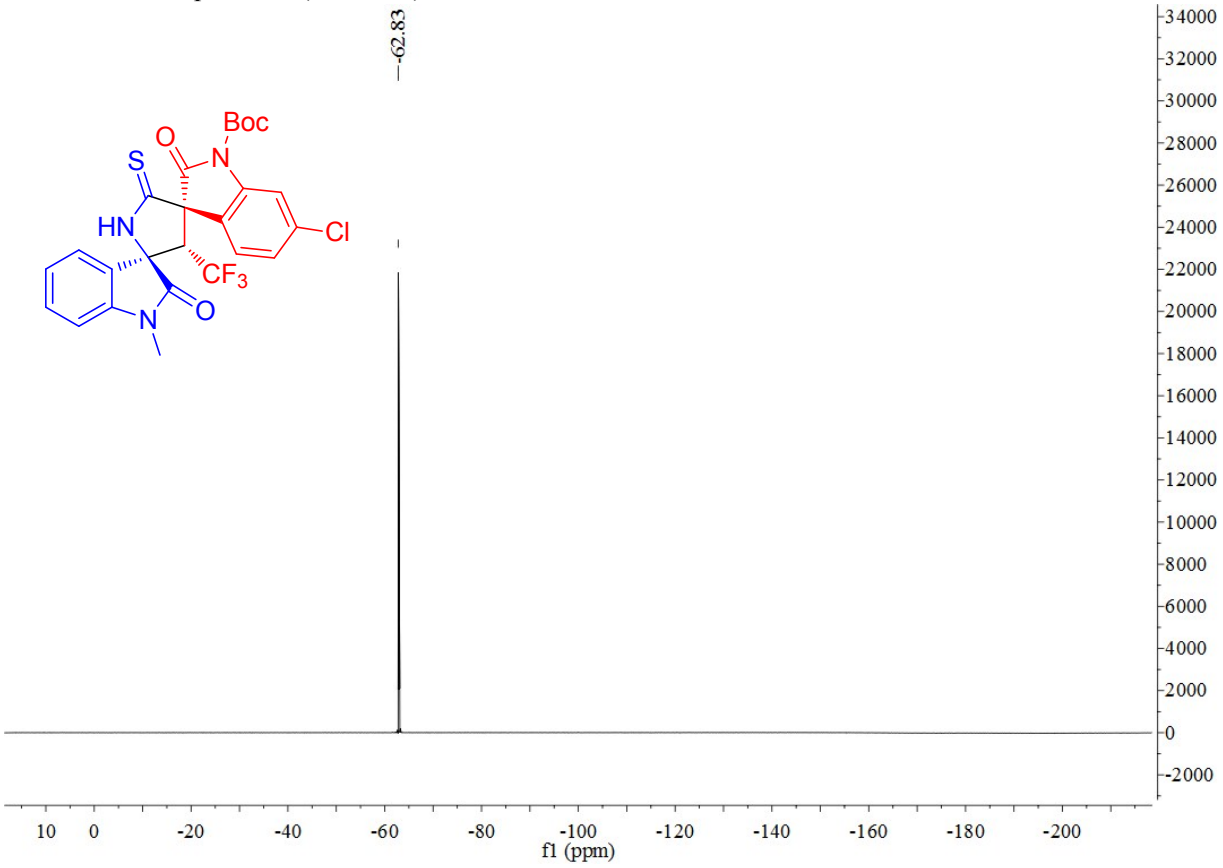
^{1}H NMR of compound **3i** (in $CDCl_3$)



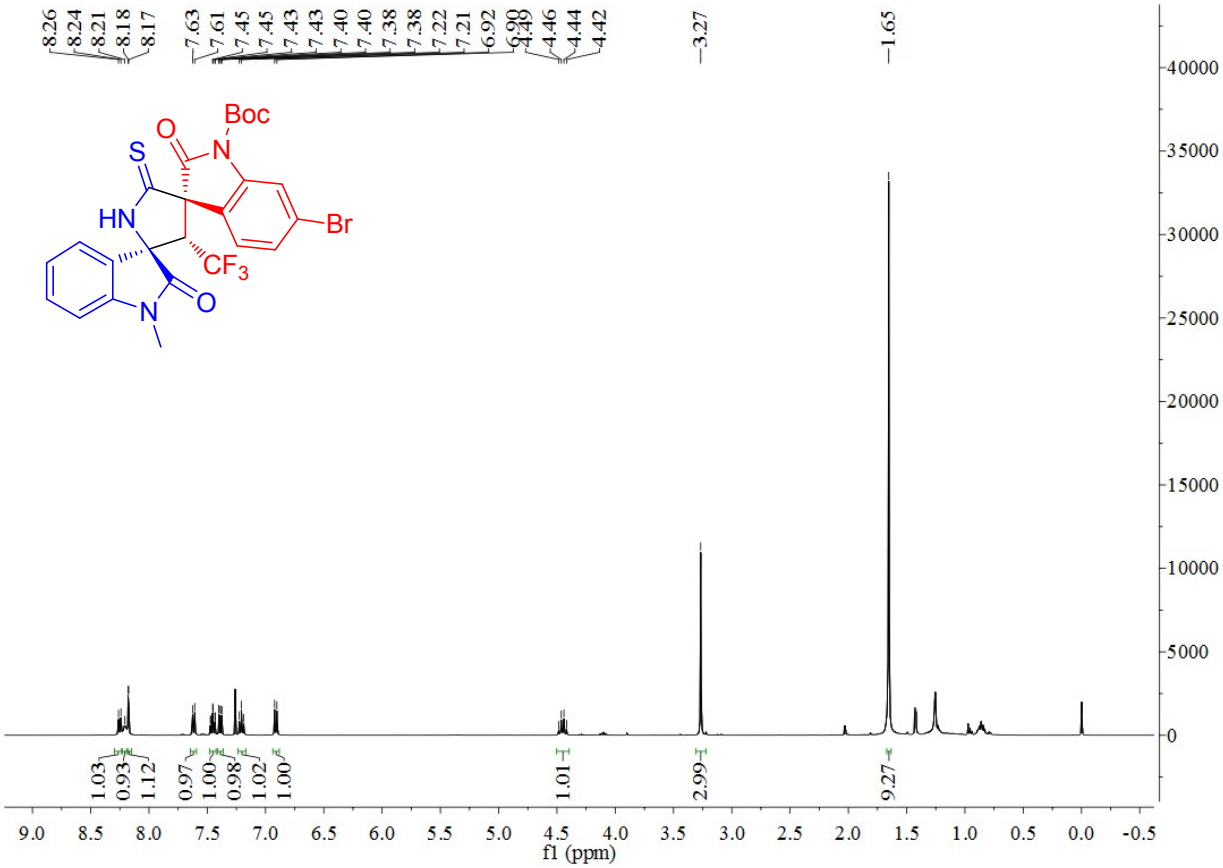
^{13}C NMR of compound **3i** (in $CDCl_3$)



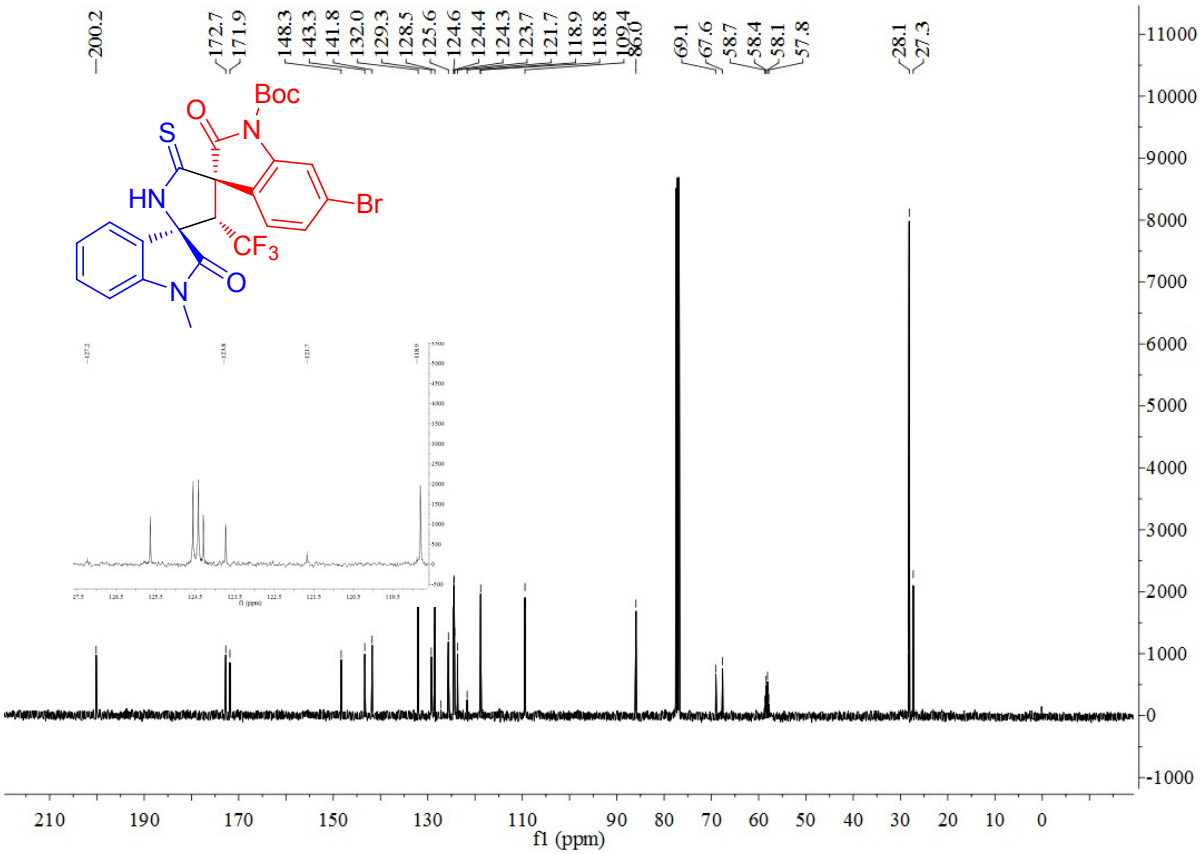
^{19}F NMR of compound **3i** (in $CDCl_3$)



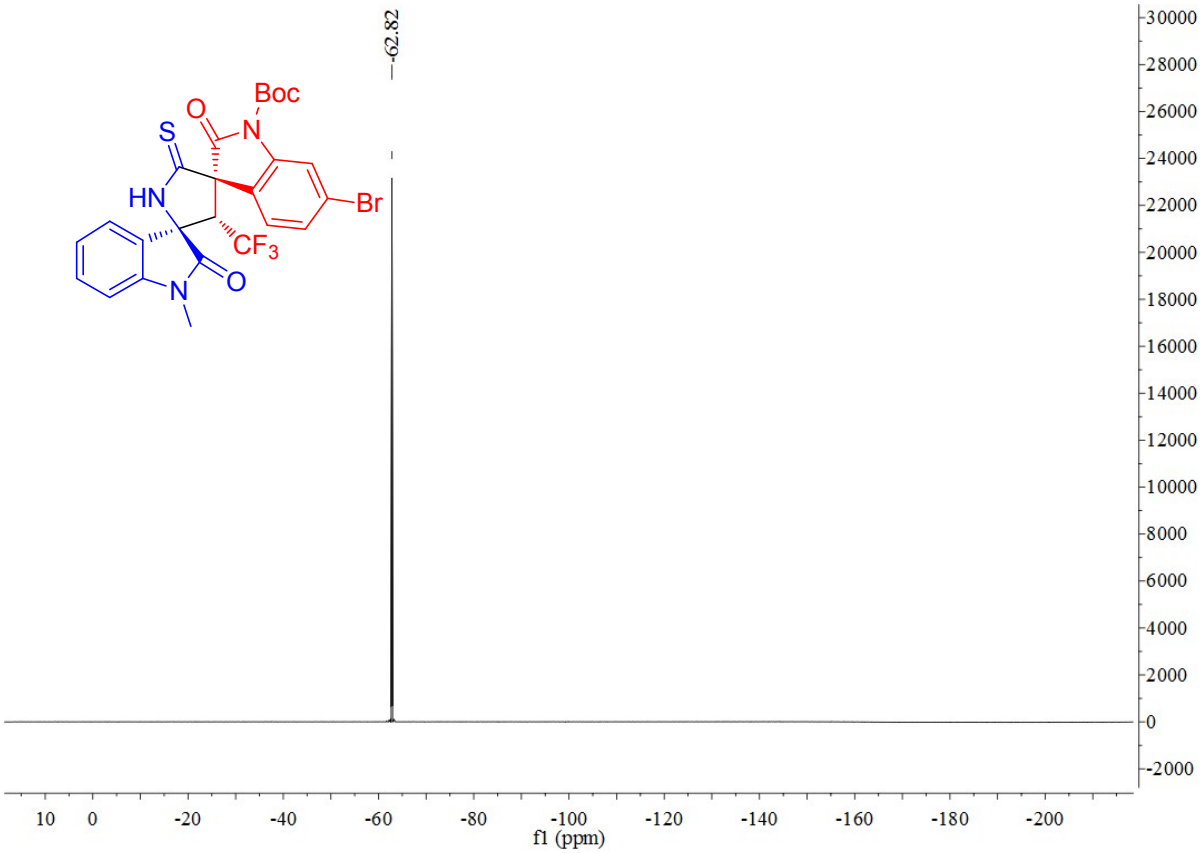
^{1}H NMR of compound **3j** (in $CDCl_3$)



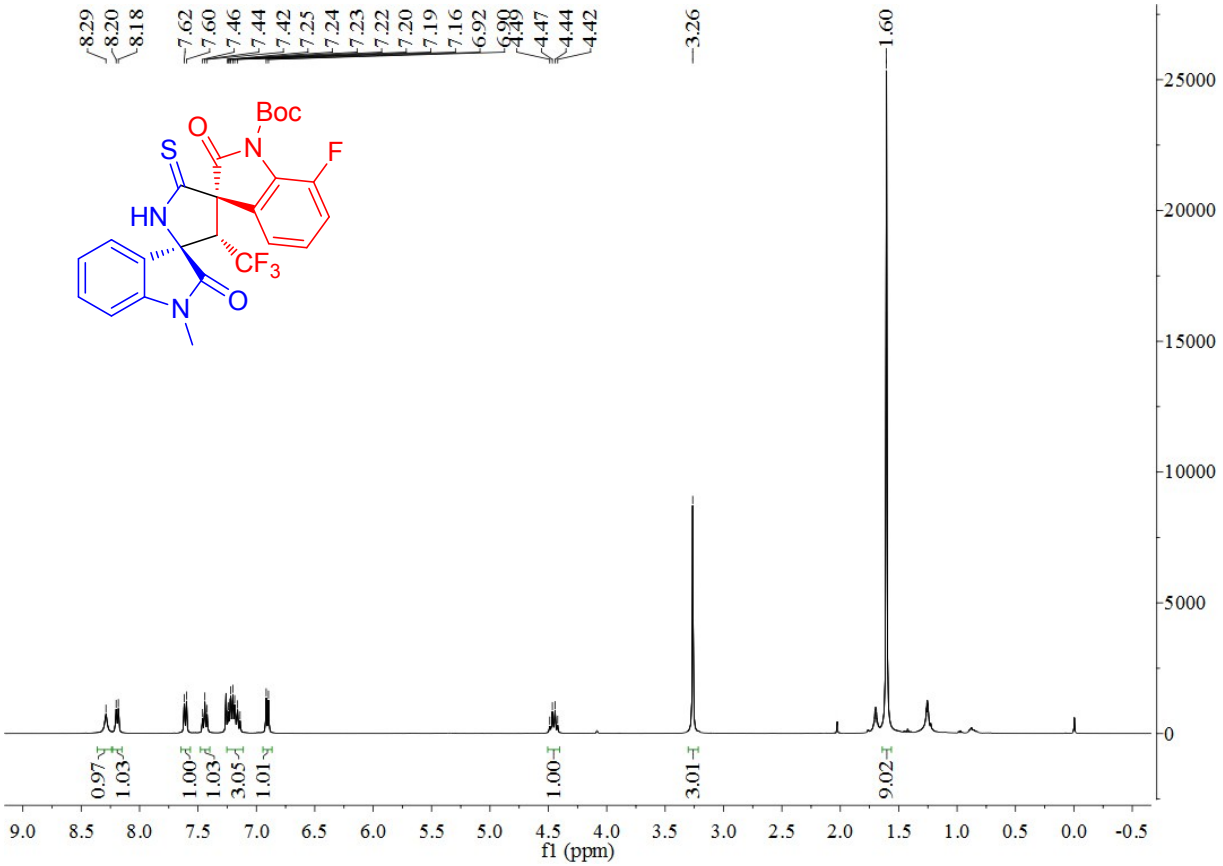
^{13}C NMR of compound **3j** (in $CDCl_3$)



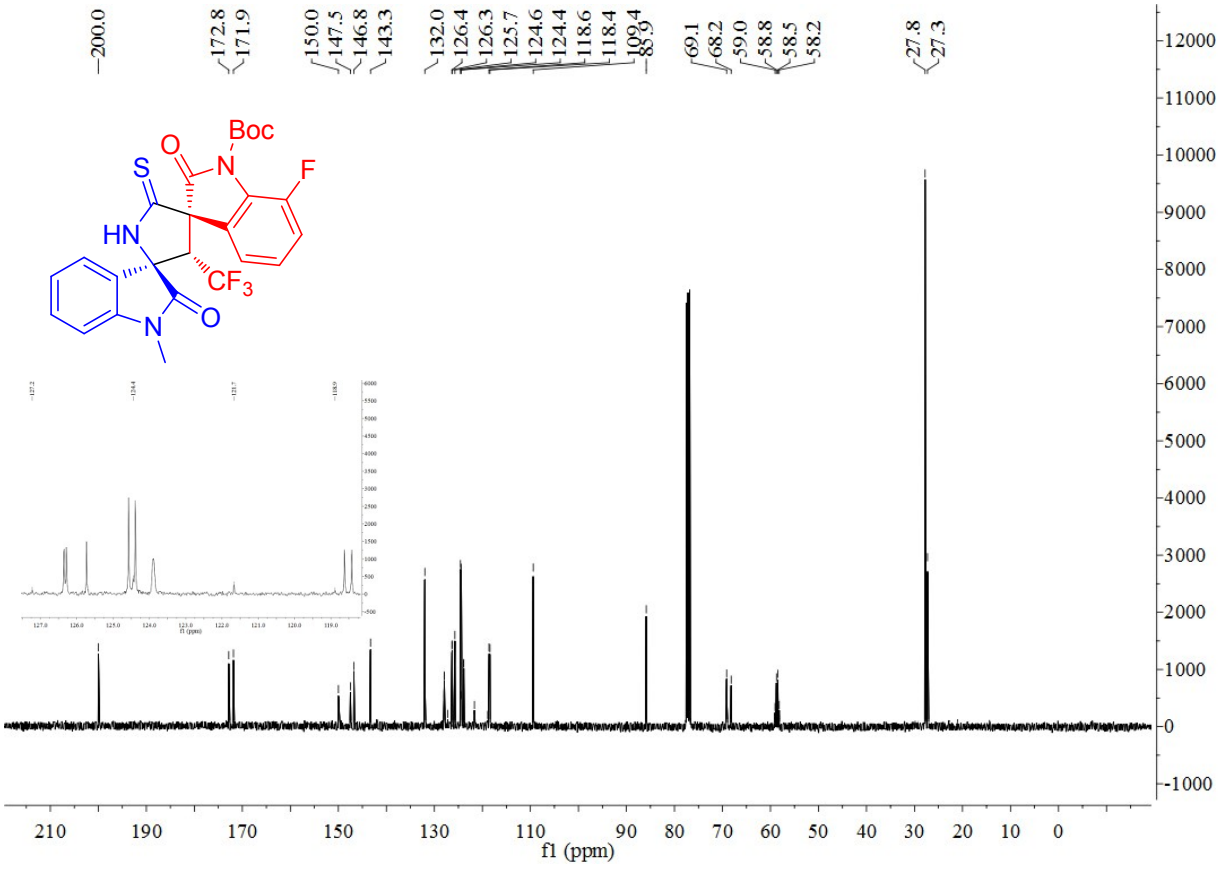
^{19}F NMR of compound **3j** (in $CDCl_3$)



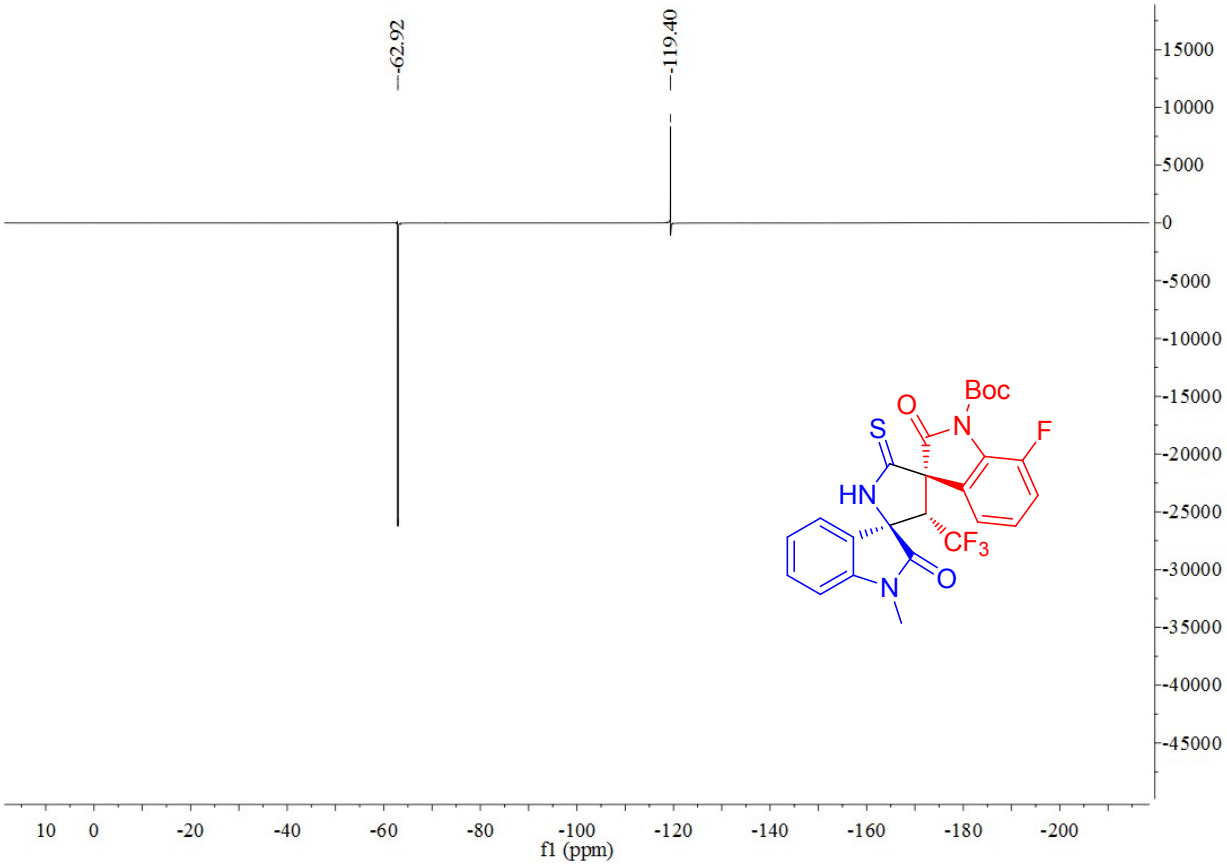
^{1}H NMR of compound **3k** (in $CDCl_3$)



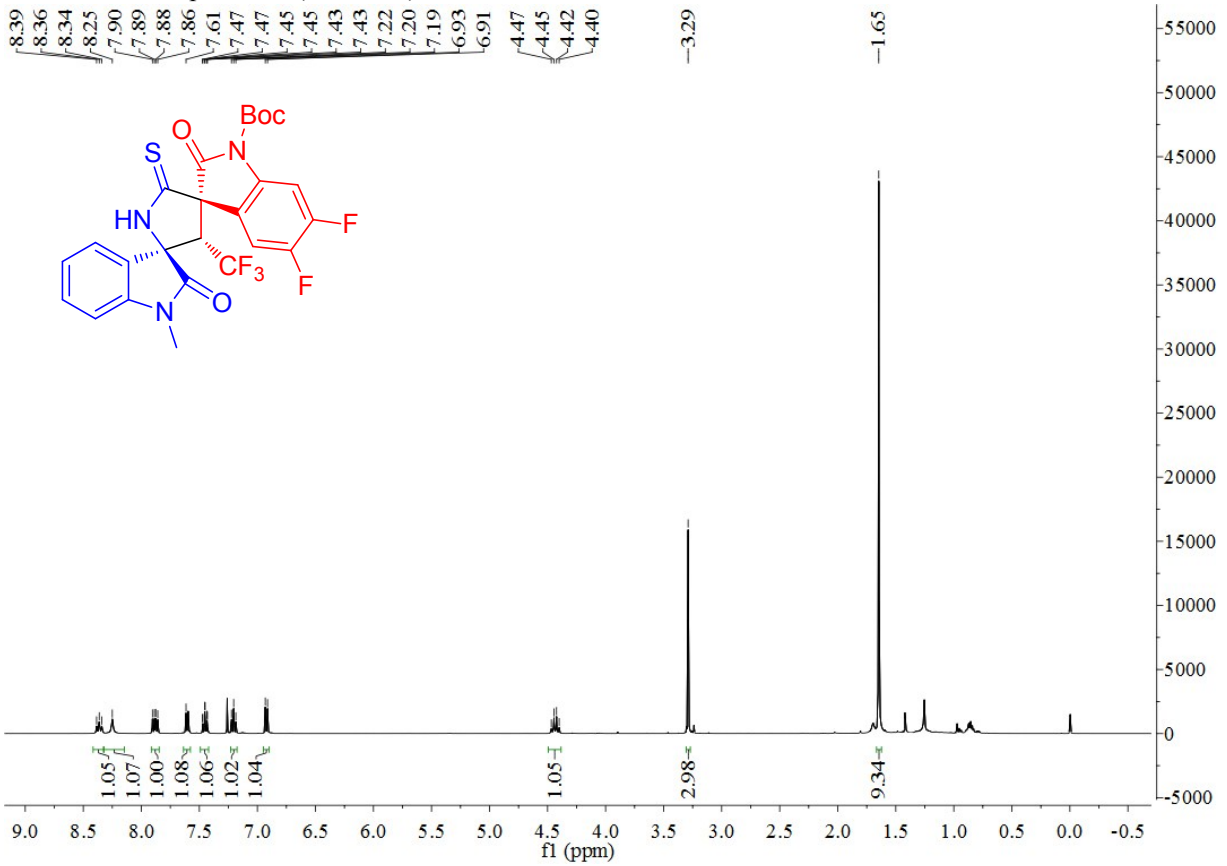
^{13}C NMR of compound **3k** (in $CDCl_3$)



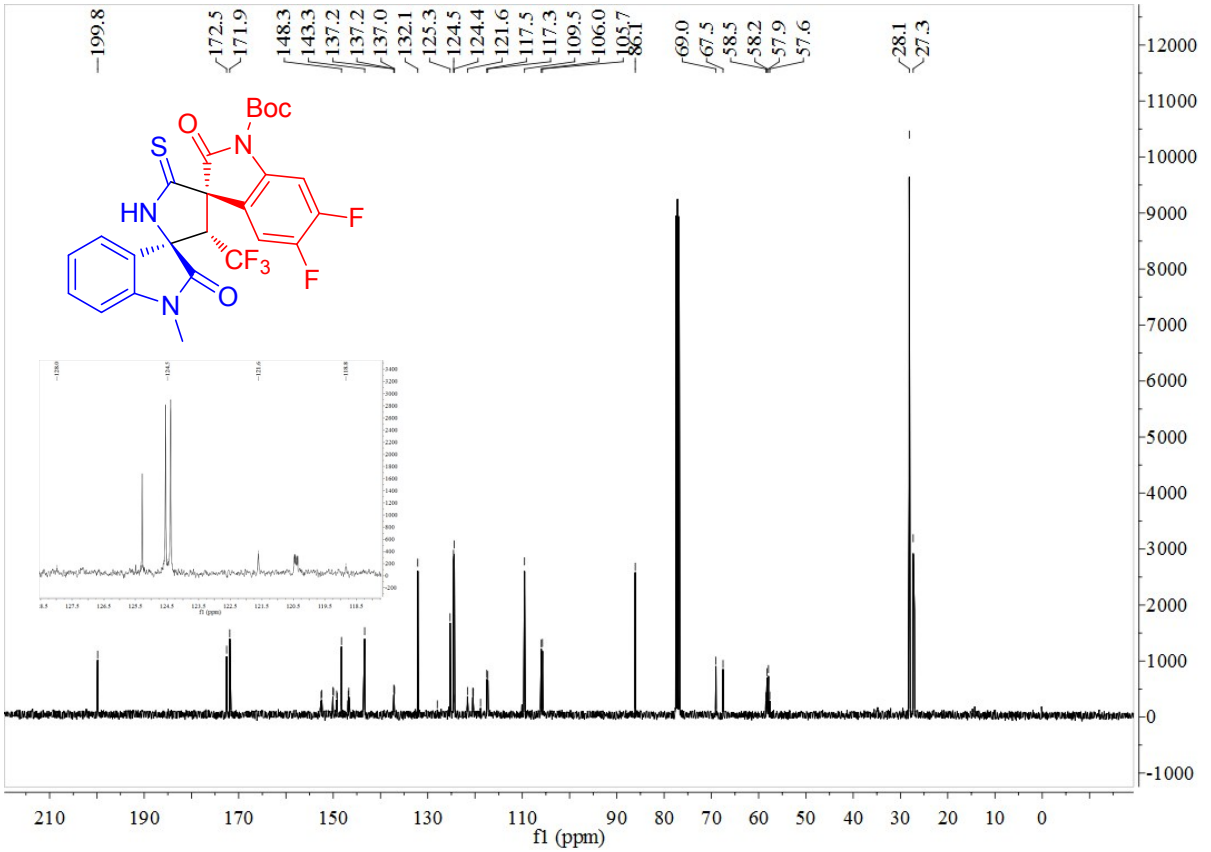
^{19}F NMR of compound **3k** (in $CDCl_3$)



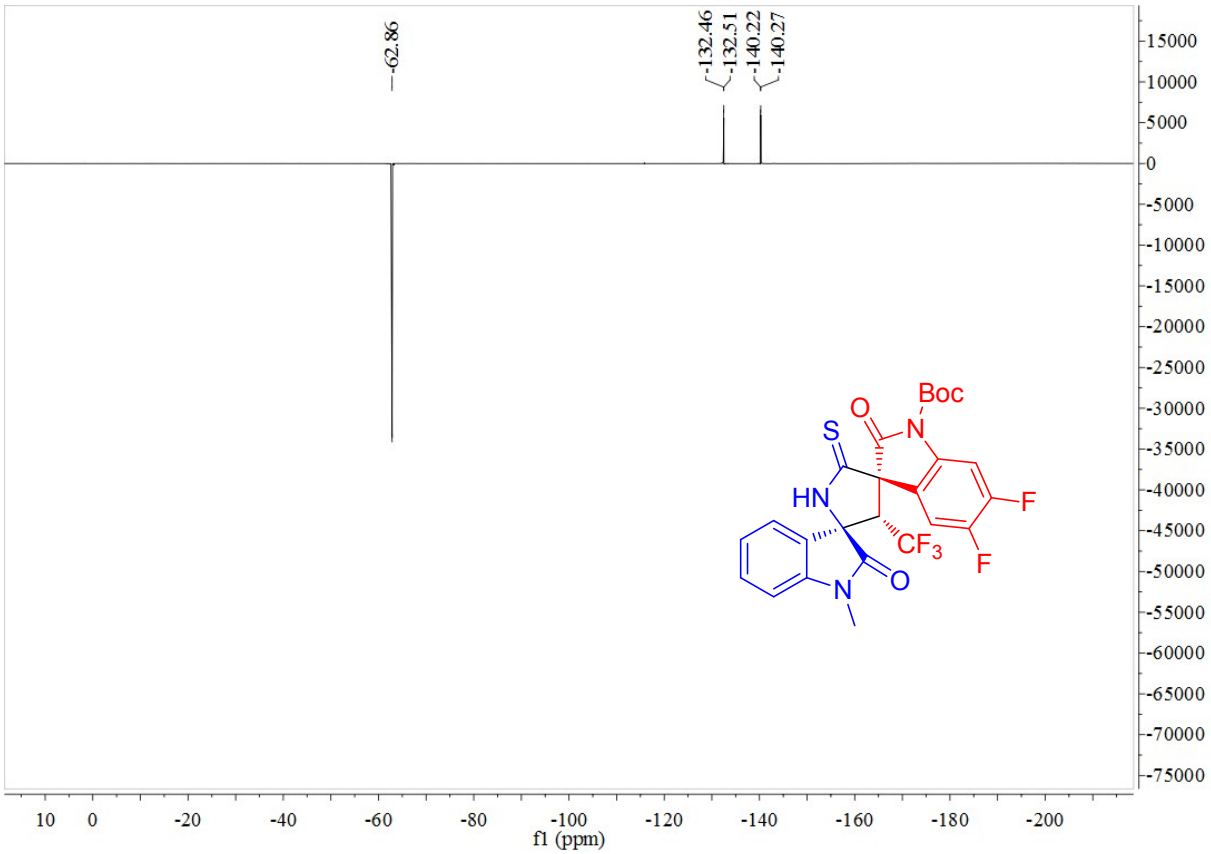
^{1}H NMR of compound **3l** (in $CDCl_3$)



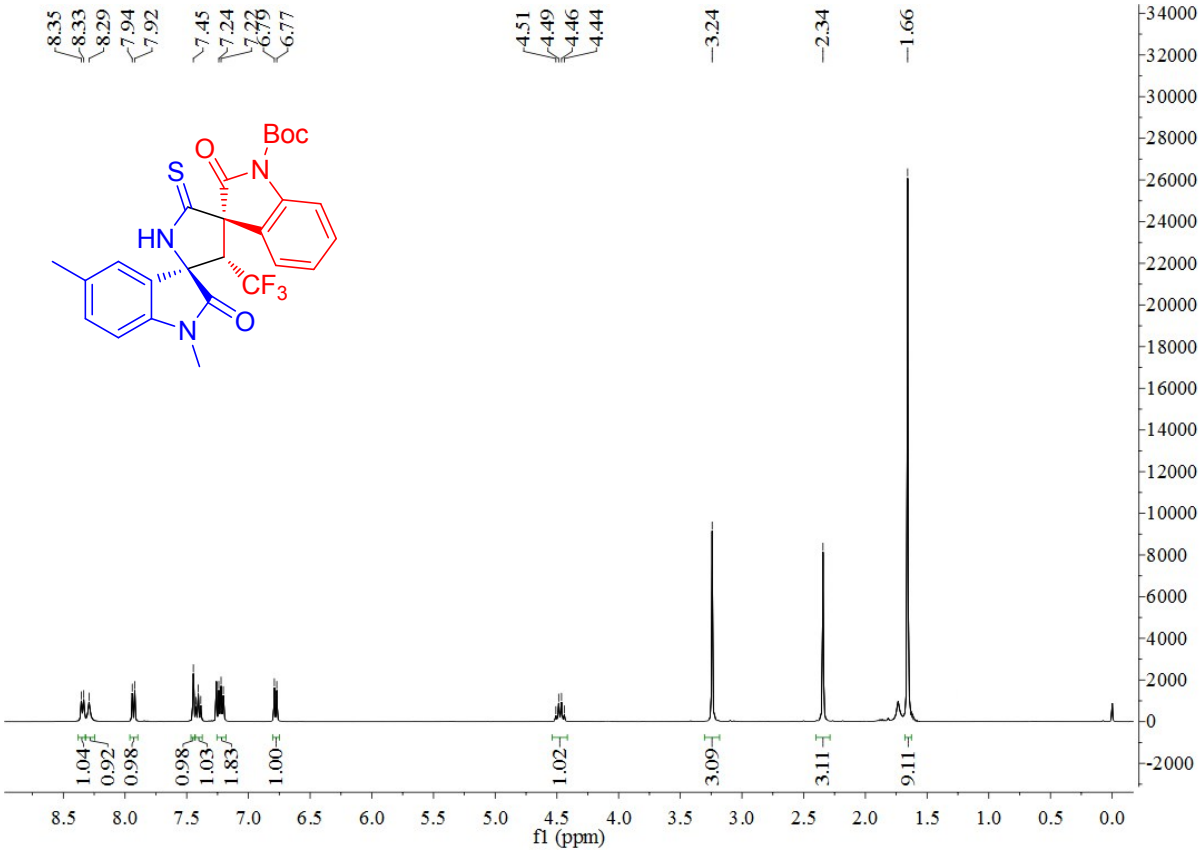
^{13}C NMR of compound **3l** (in $CDCl_3$)



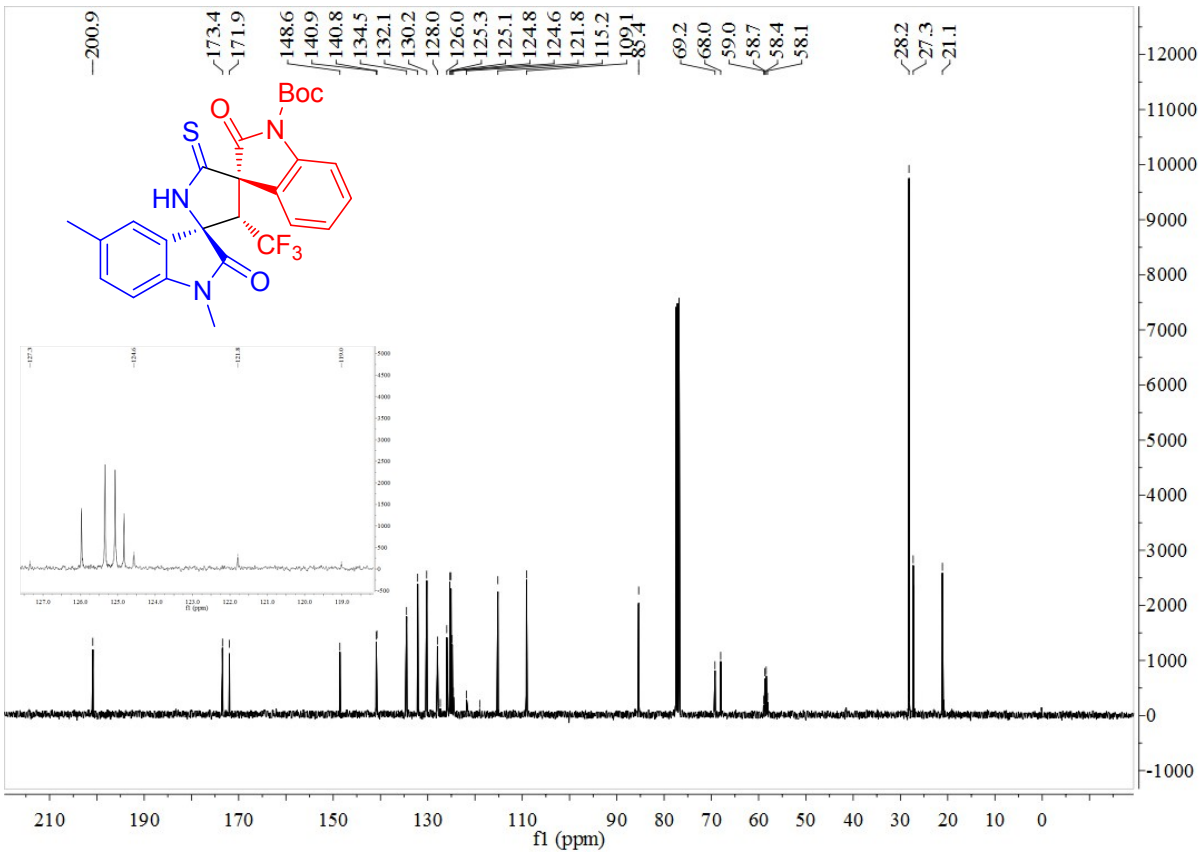
^{19}F NMR of compound **3l** (in $CDCl_3$)



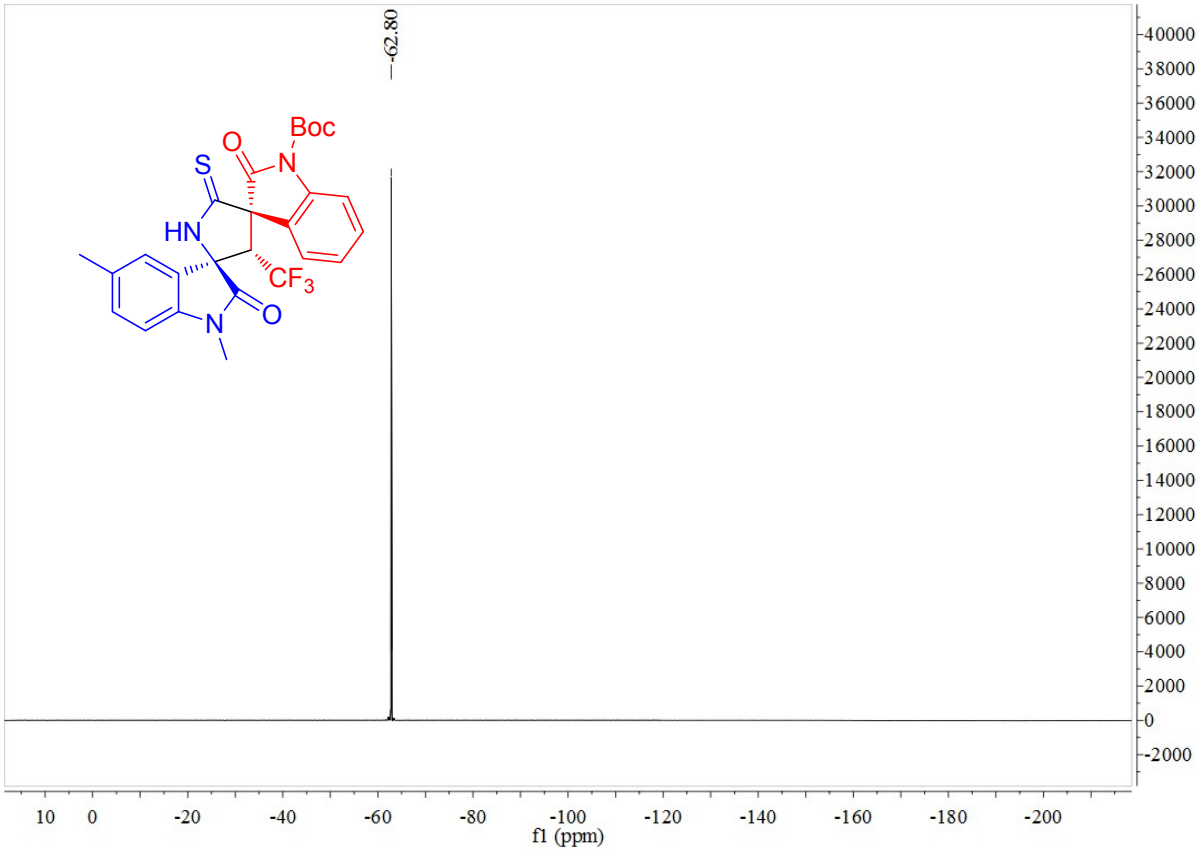
^{1}H NMR of compound **3m** (in $CDCl_3$)



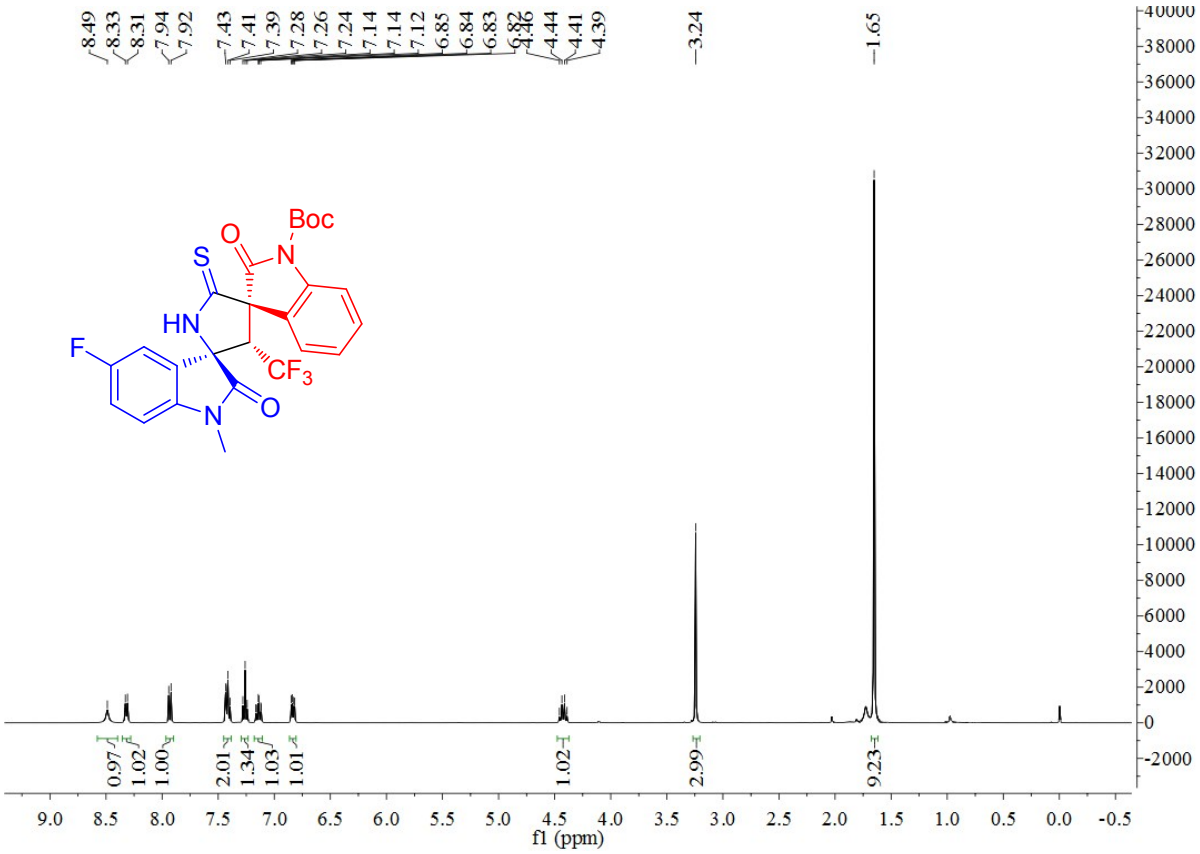
^{13}C NMR of compound **3m** (in $CDCl_3$)



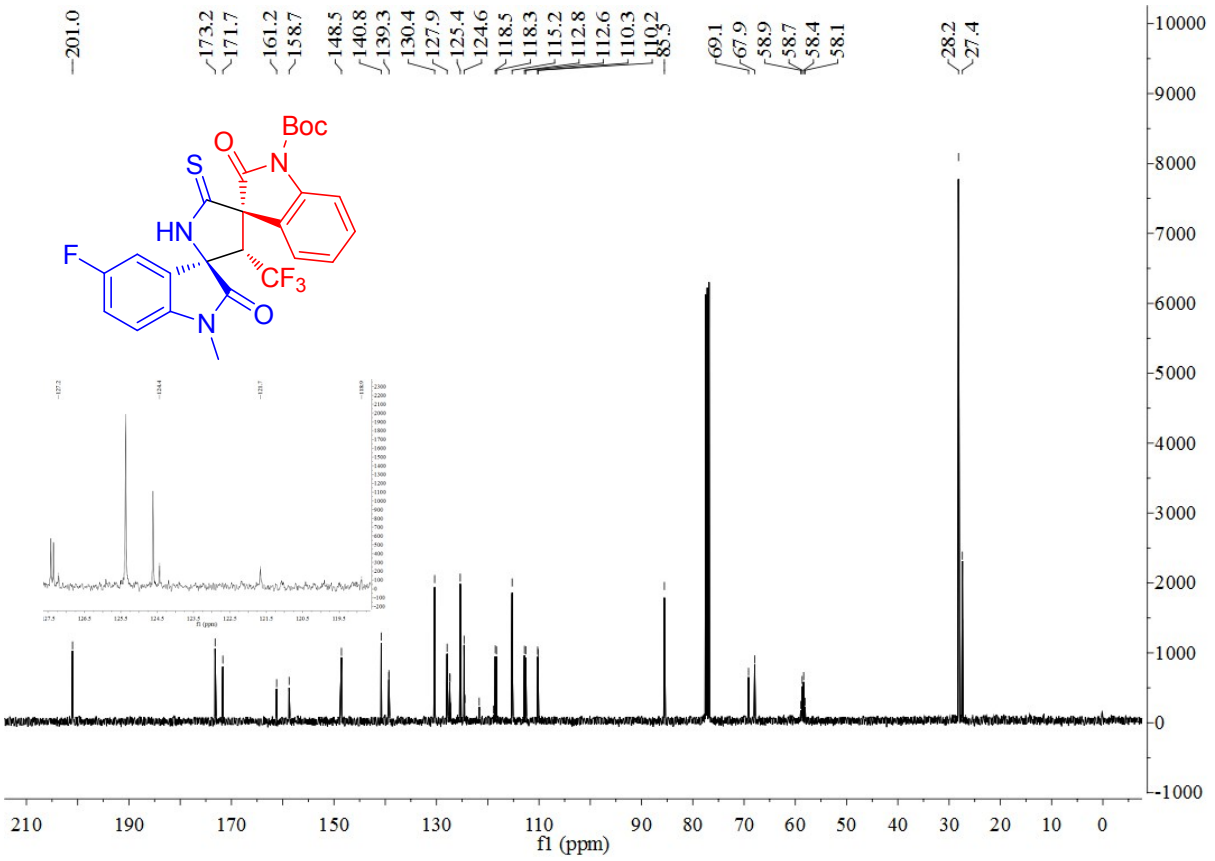
^{19}F NMR of compound **3m** (in $CDCl_3$)



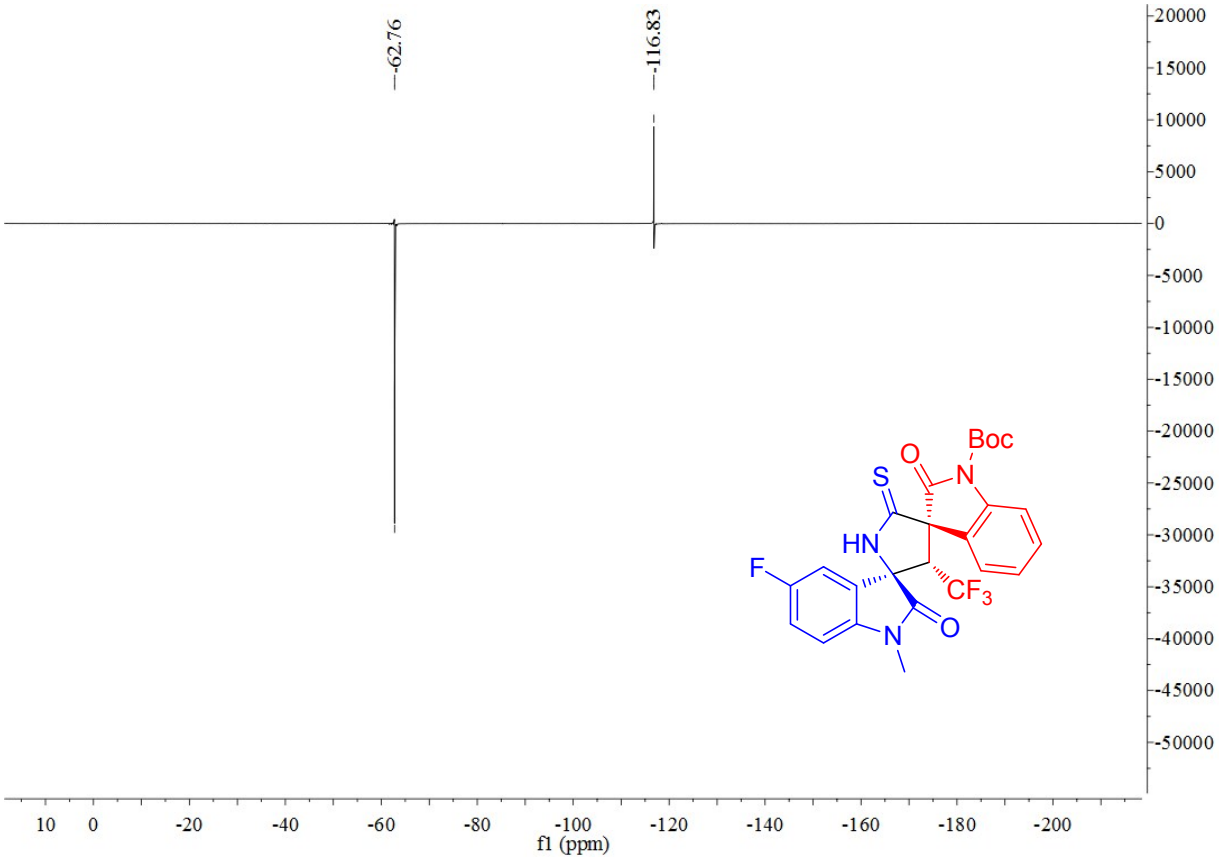
^{1}H NMR of compound **3n** (in $CDCl_3$)



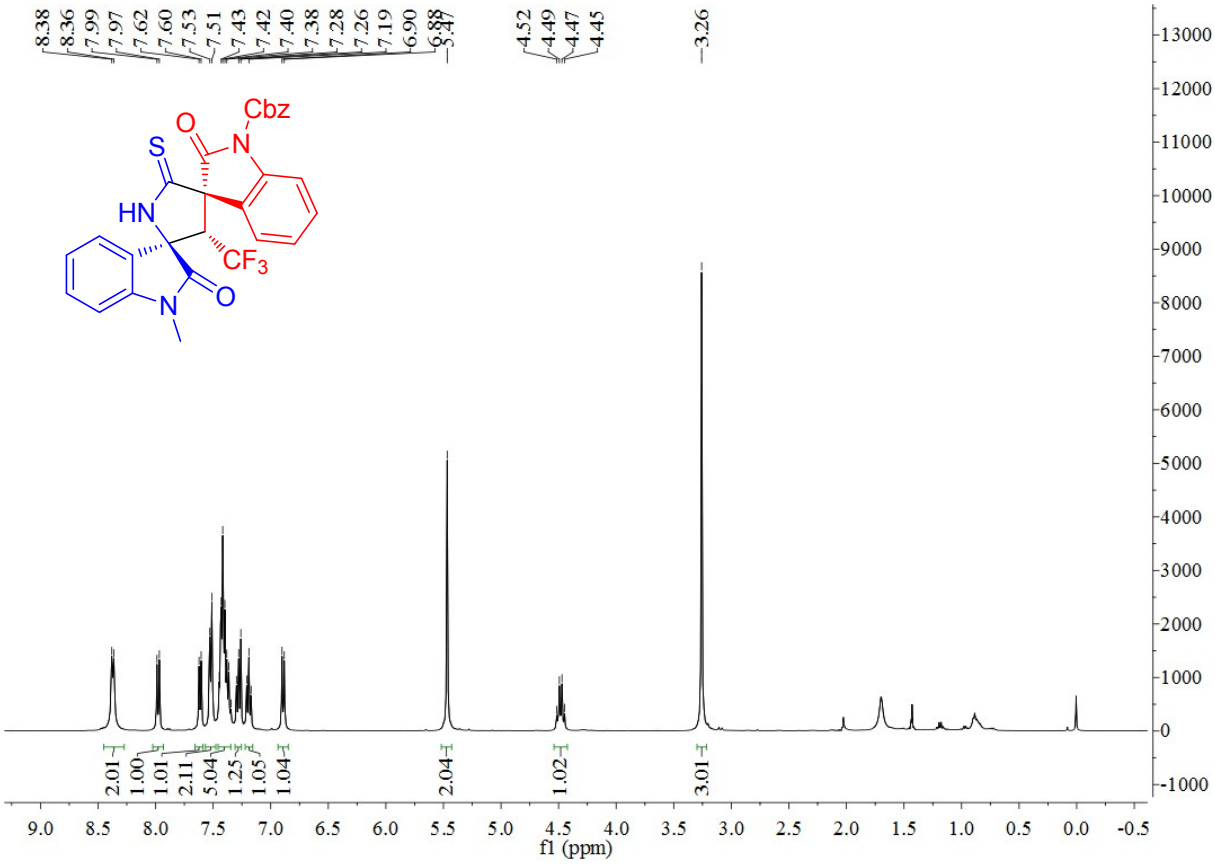
^{13}C NMR of compound **3n** (in $CDCl_3$)



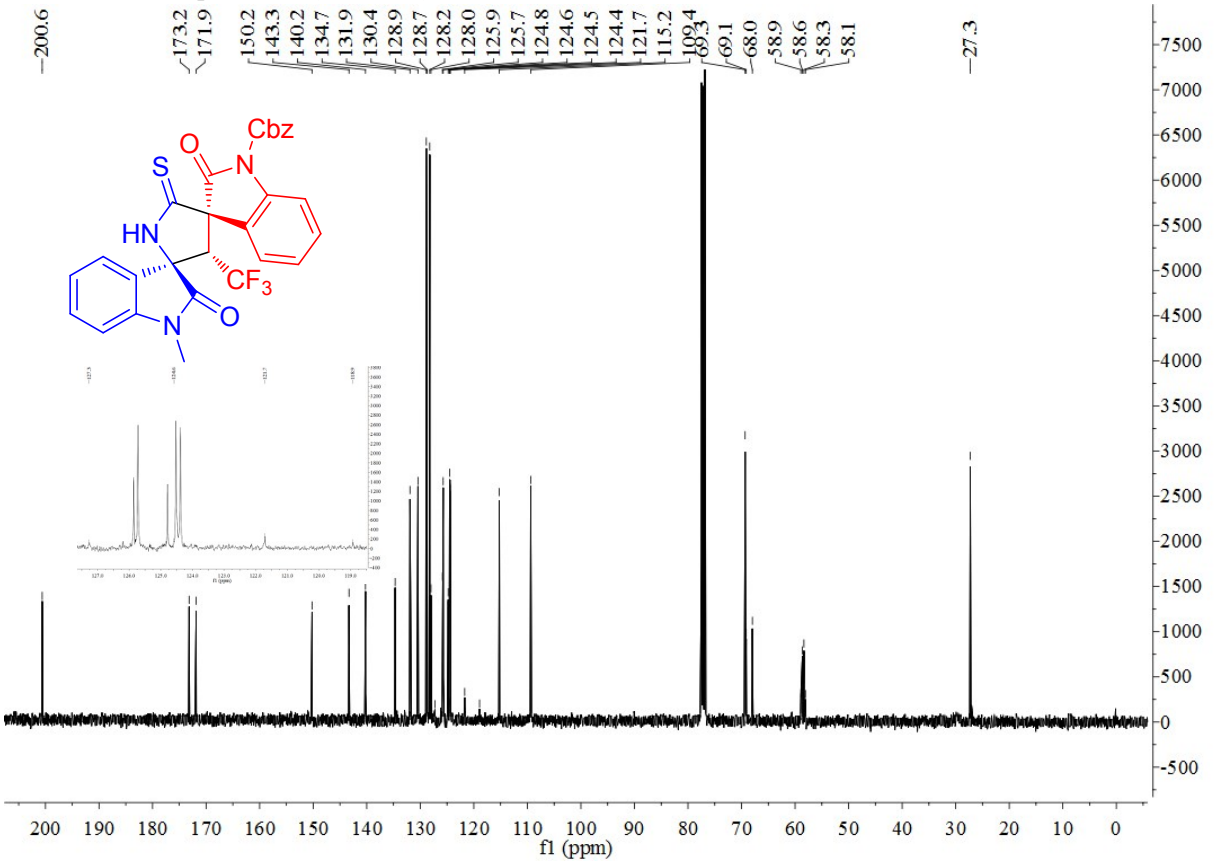
^{19}F NMR of compound **3n** (in $CDCl_3$)



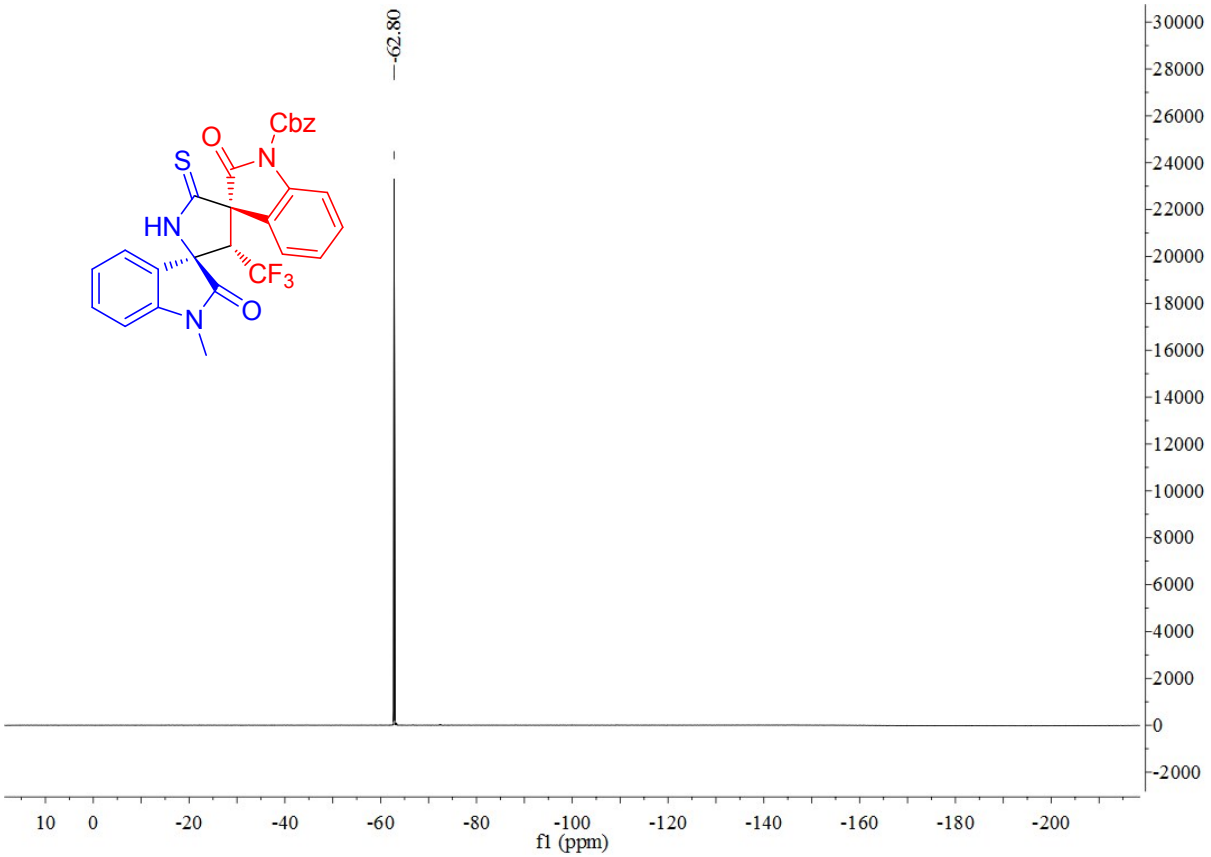
^{1}H NMR of compound **3o** (in $CDCl_3$)



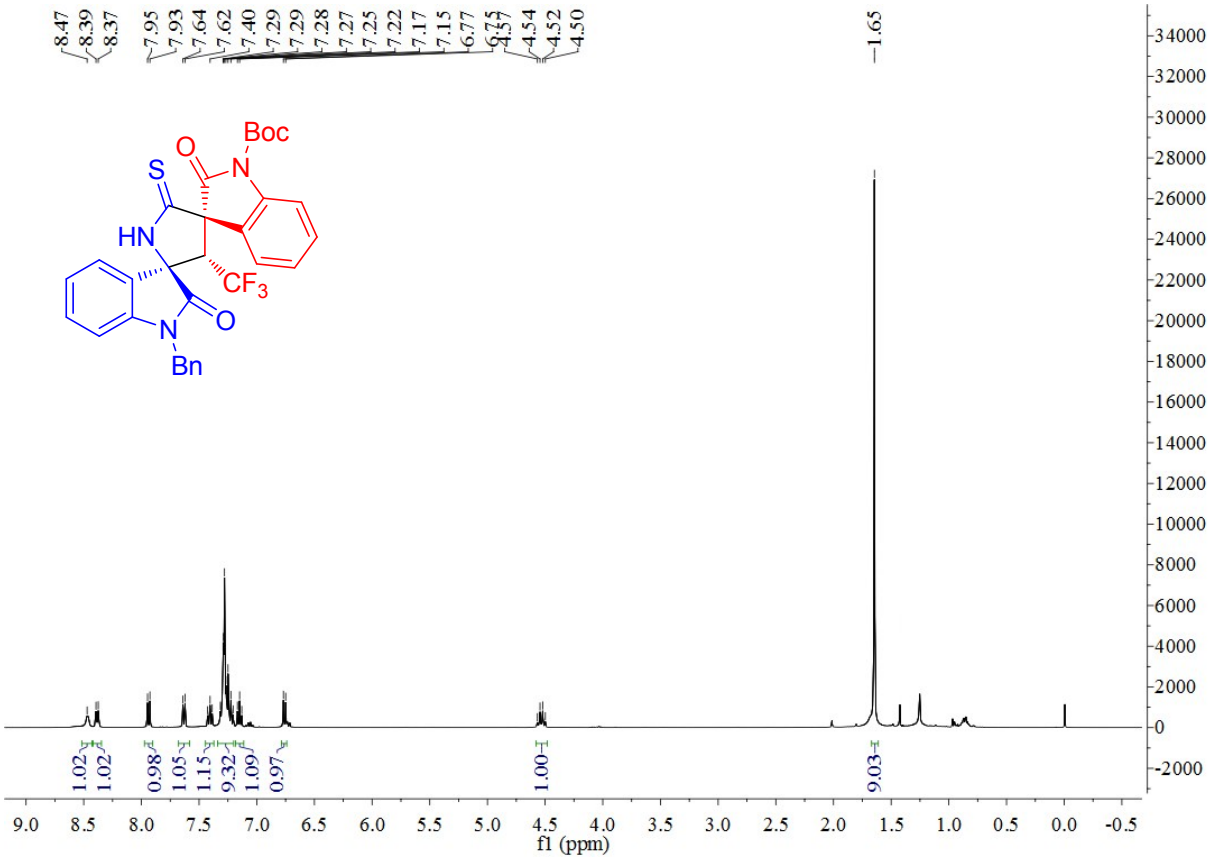
^{13}C NMR of compound **3o** (in $CDCl_3$)



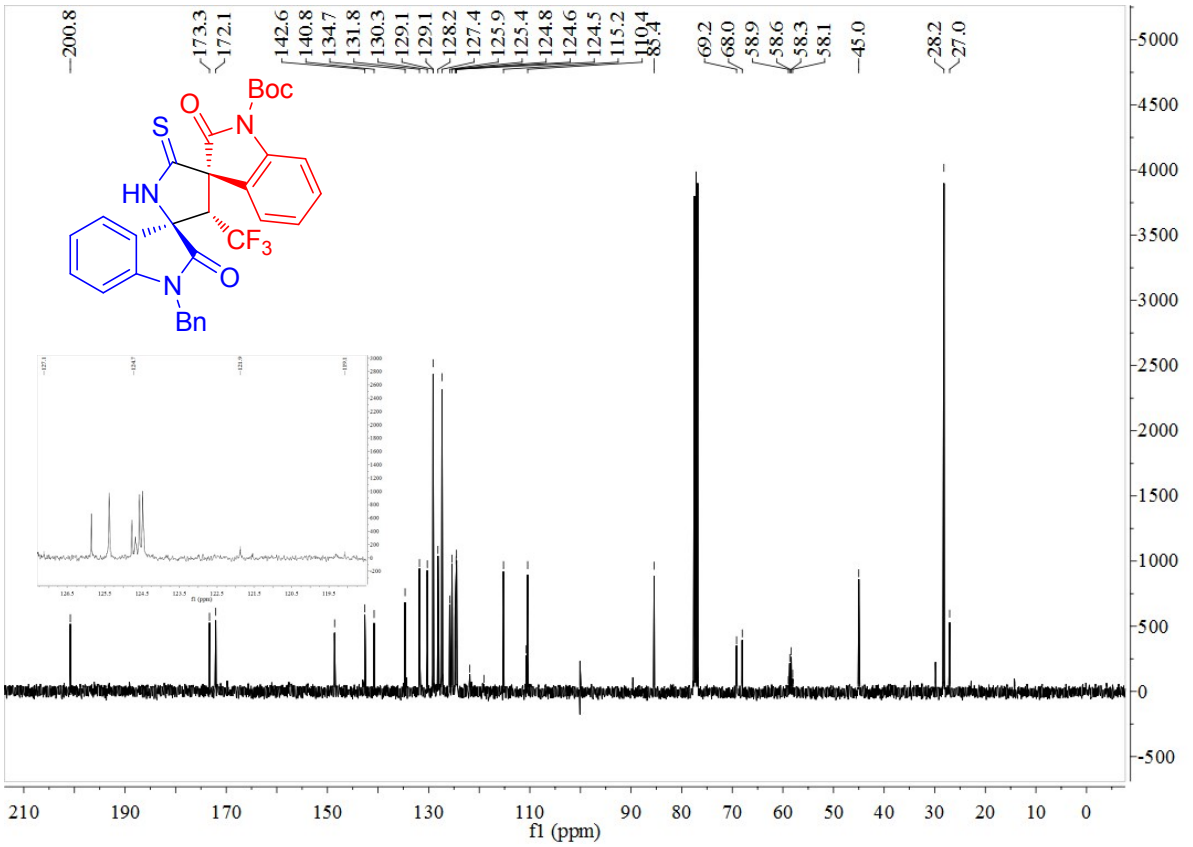
^{19}F NMR of compound **3o** (in $CDCl_3$)



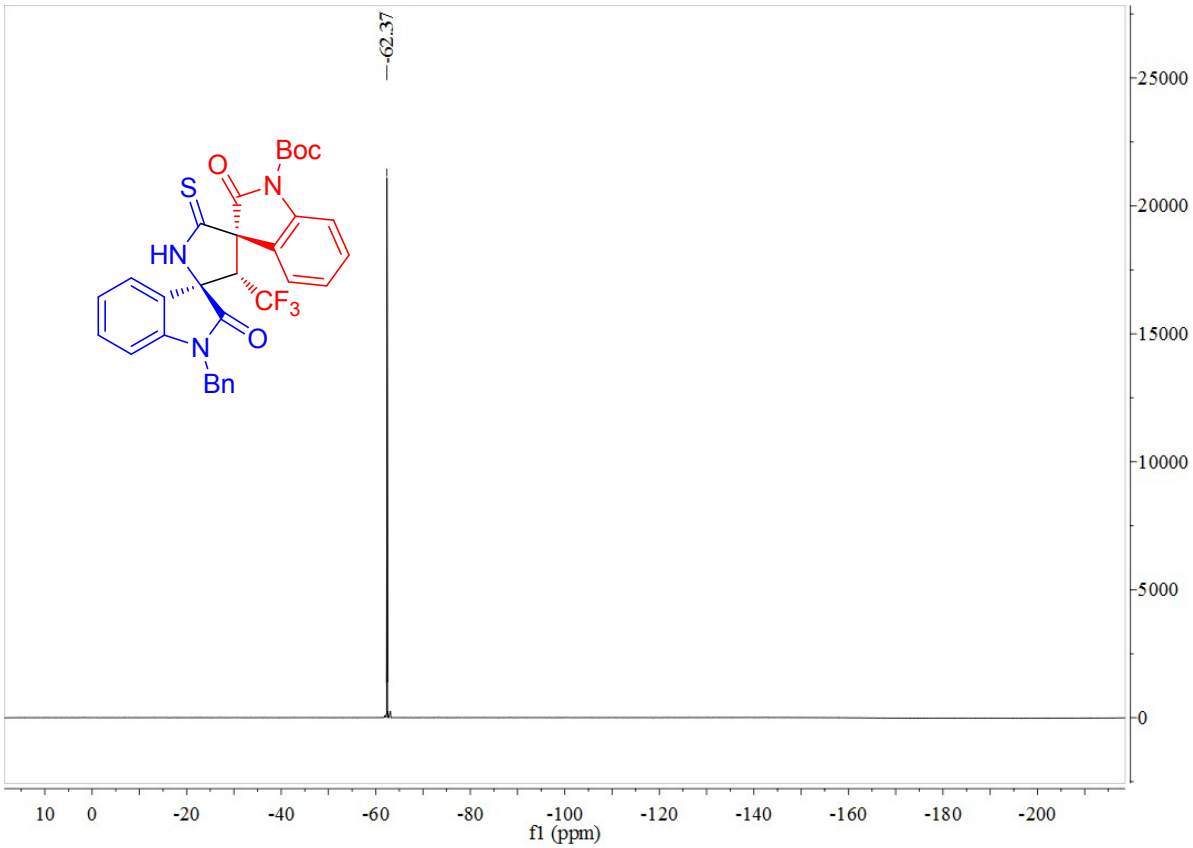
^{1}H NMR of compound **3p** (in $CDCl_3$)



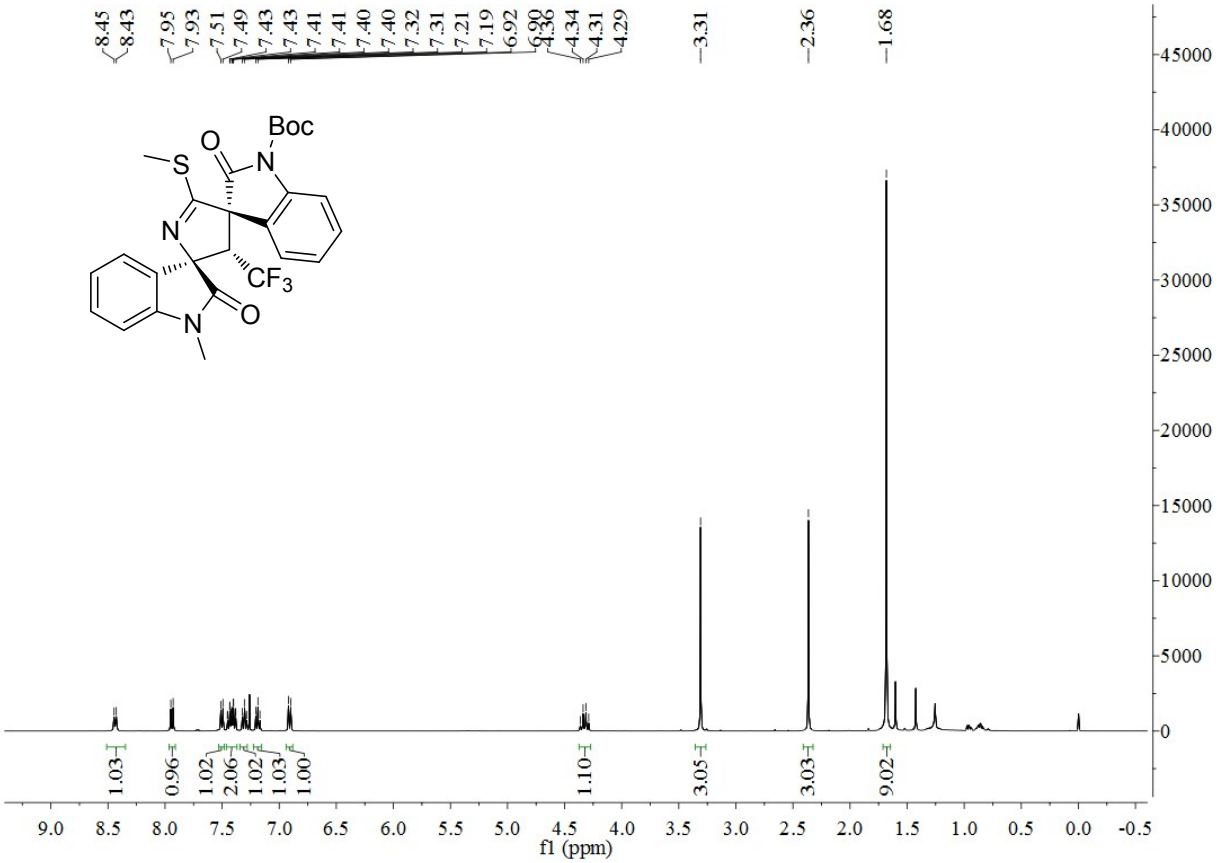
^{13}C NMR of compound **3p** (in $CDCl_3$)



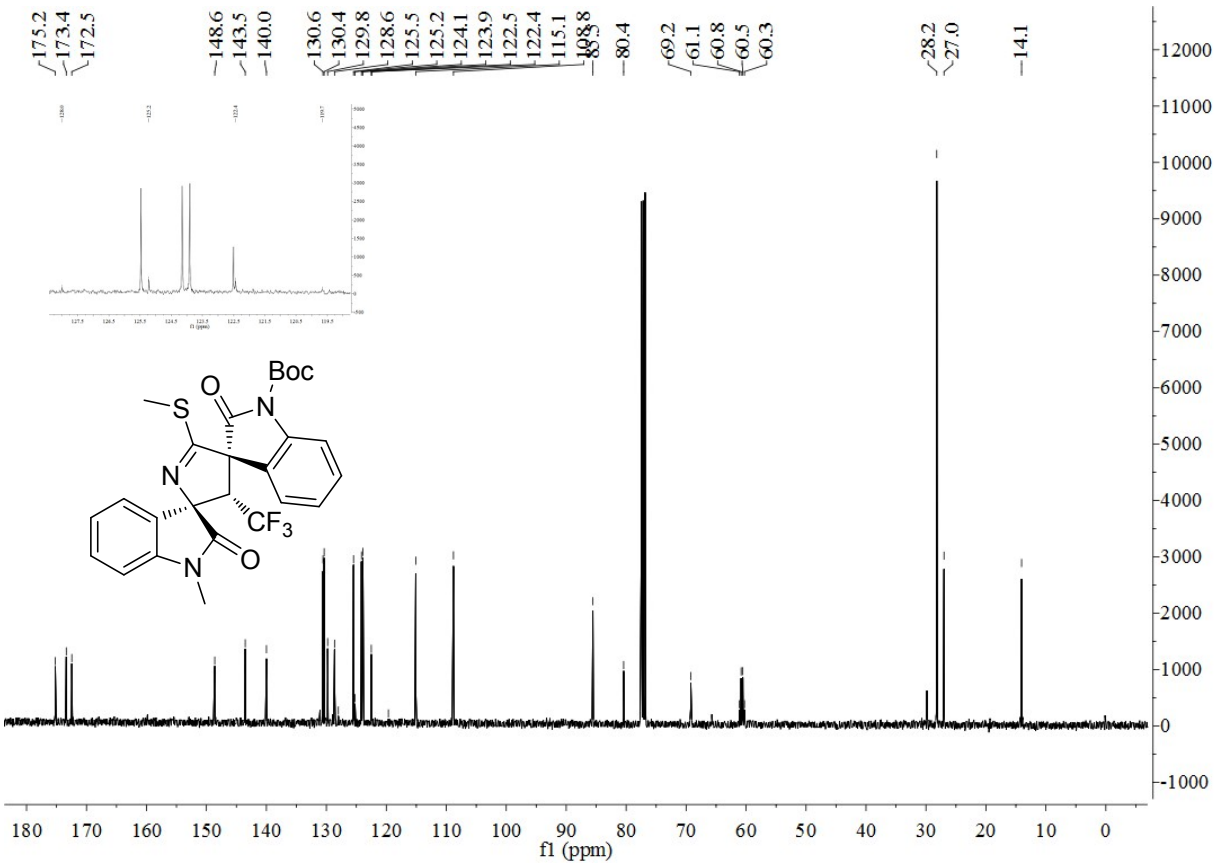
^{19}F NMR of compound **3p** (in $CDCl_3$)



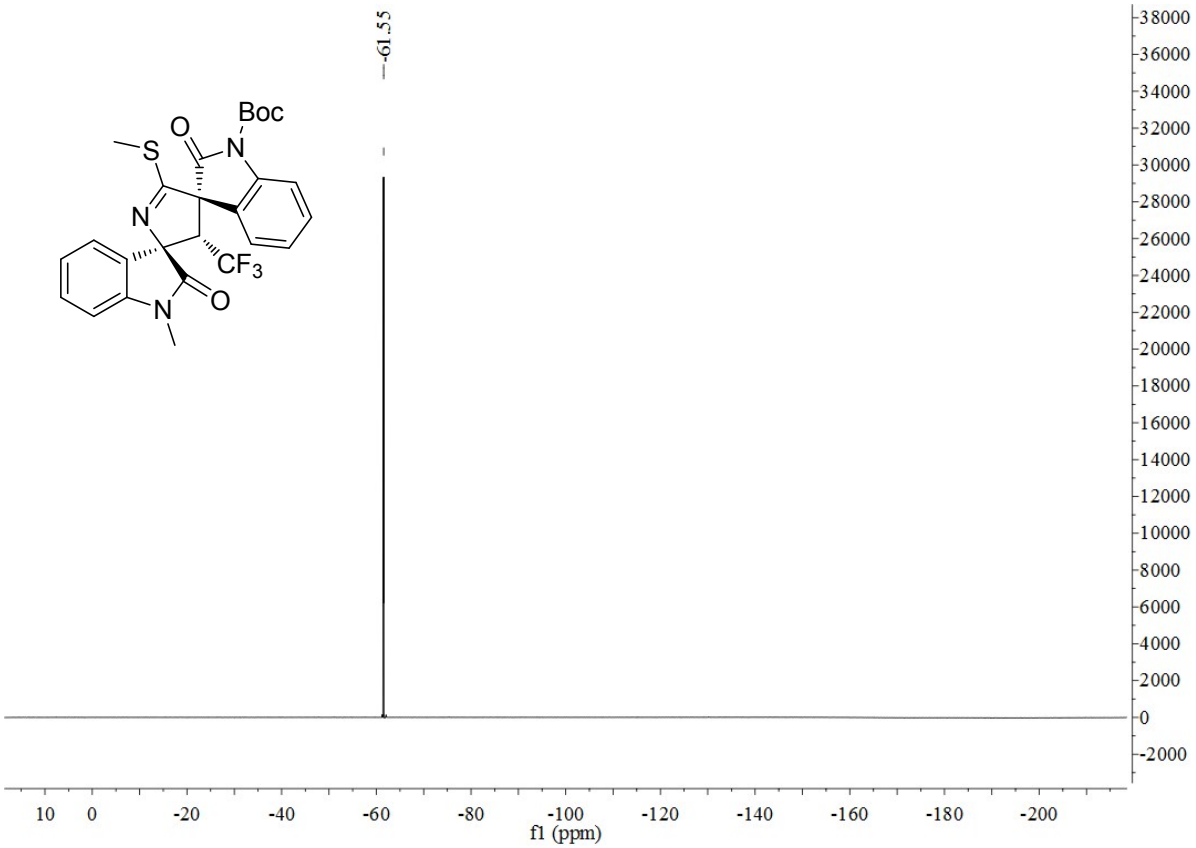
^{1}H NMR of compound **4** (in $CDCl_3$)



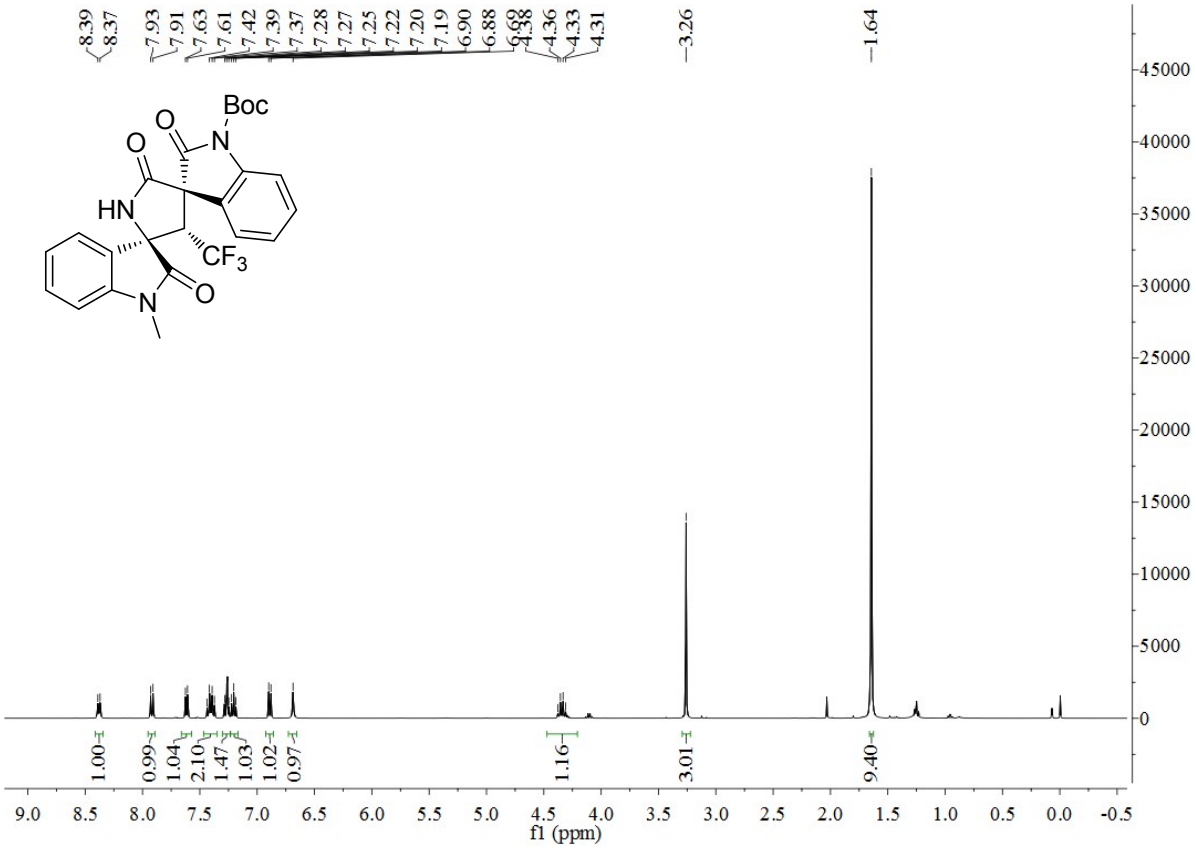
^{13}C NMR of compound **4** (in $CDCl_3$)



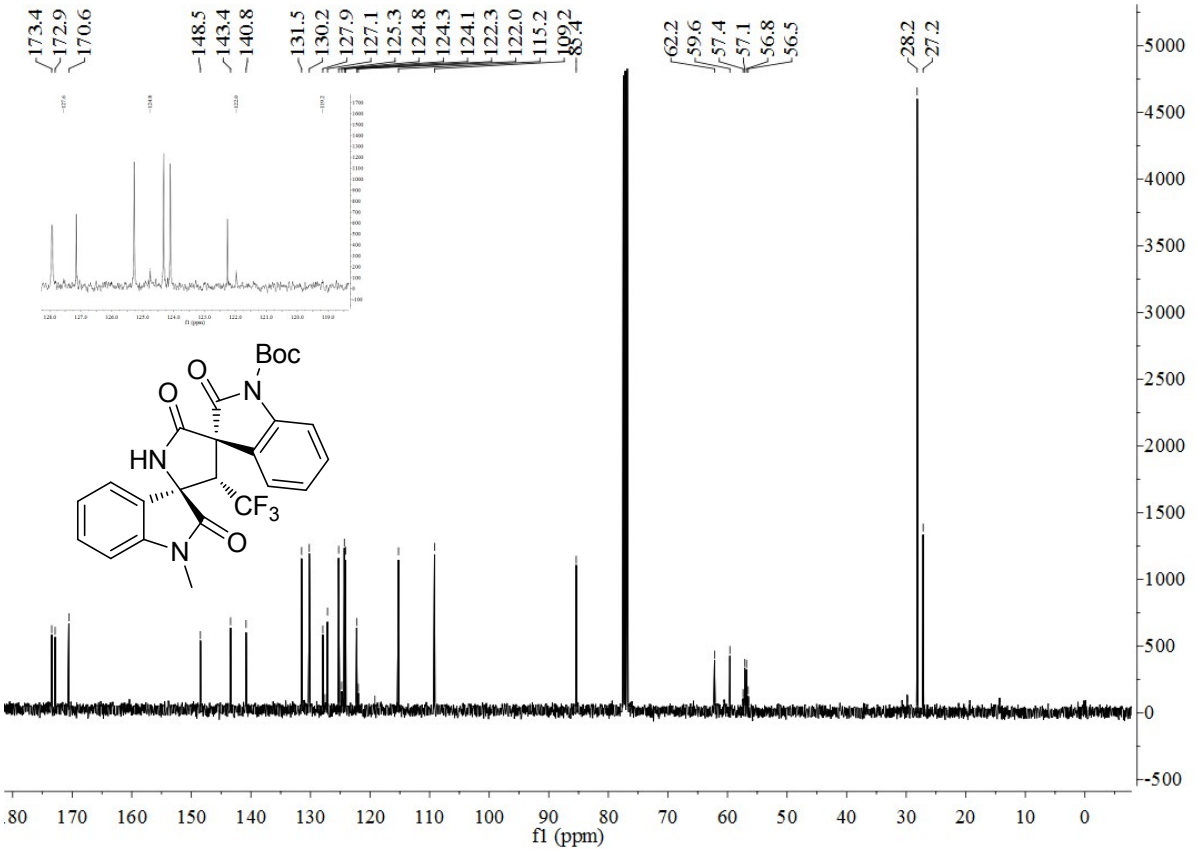
^{19}F NMR of compound **4** (in $CDCl_3$)



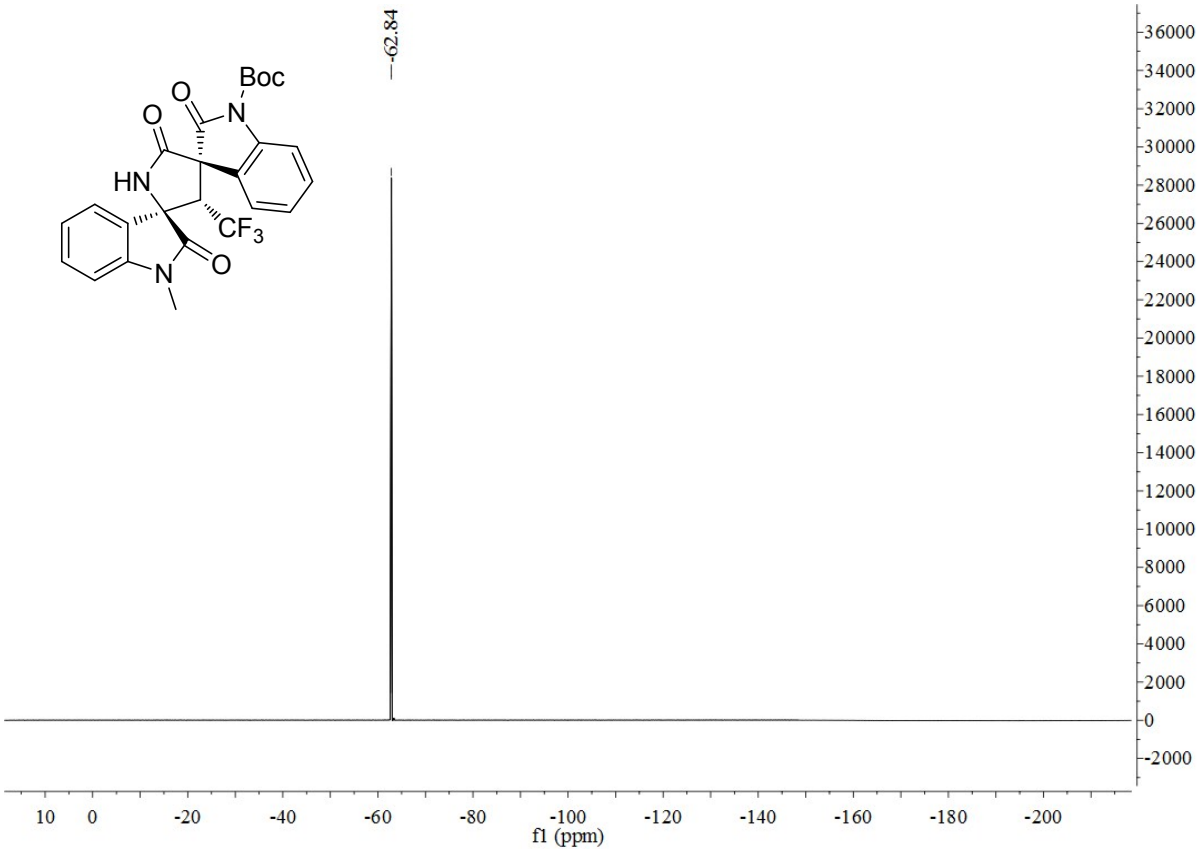
^{1}H NMR of compound **5** (in $CDCl_3$)



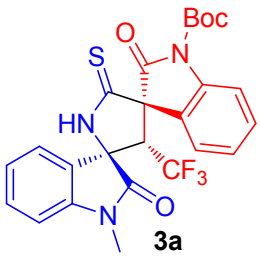
^{13}C NMR of compound **5** (in $CDCl_3$)



^{19}F NMR of compound **5** (in $CDCl_3$)

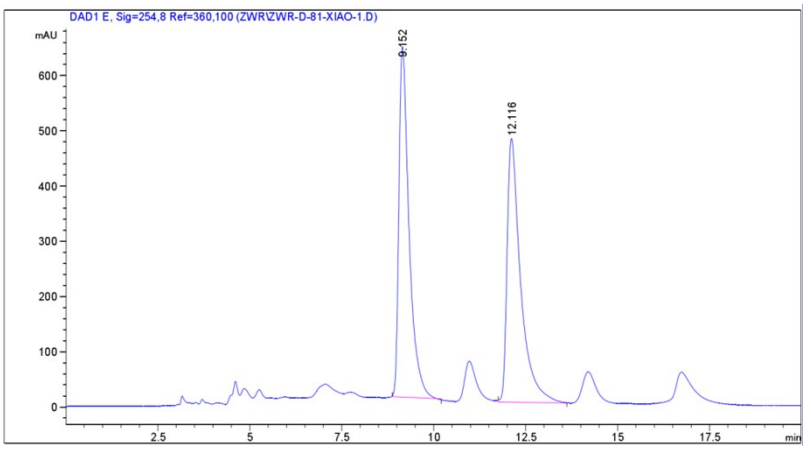


5. Copies of HPLC Spectra of compounds



3a

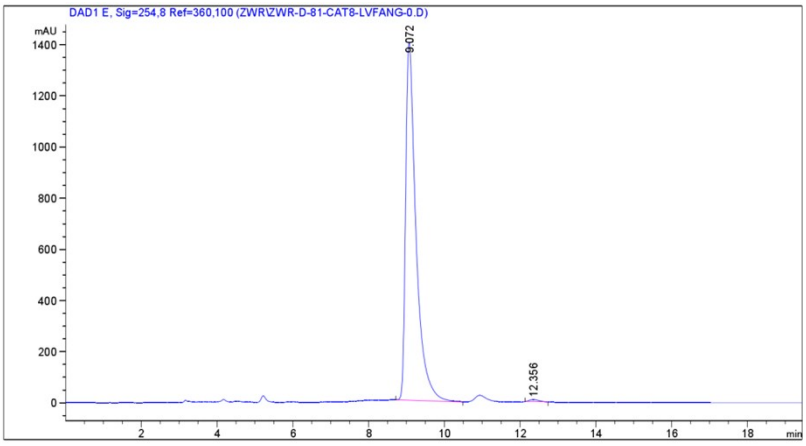
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

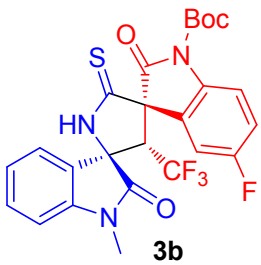
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.152	MM R	0.3197	1.21627e4	634.05701	49.9068
2	12.116	MM R	0.4264	1.22082e4	477.23062	50.0932

HPLC spectrum of the chiral compound



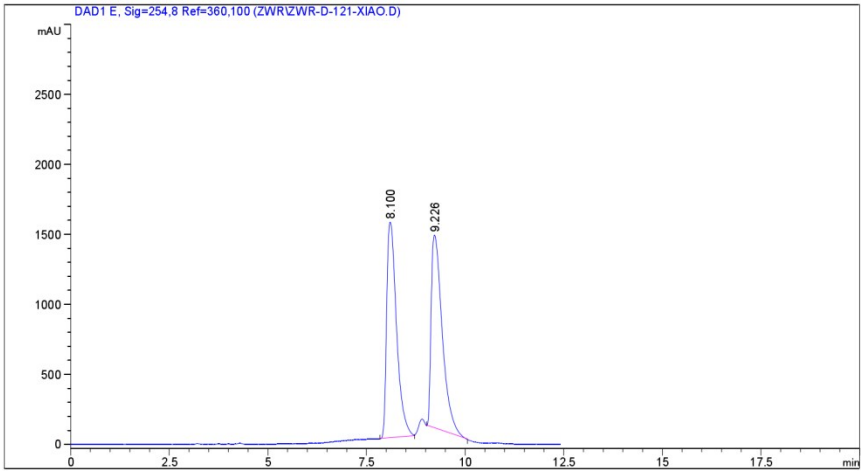
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.072	MM R	0.3183	2.66906e4	1397.76685	99.5453
2	12.356	MM R	0.2763	121.91730	7.35455	0.4547



3b

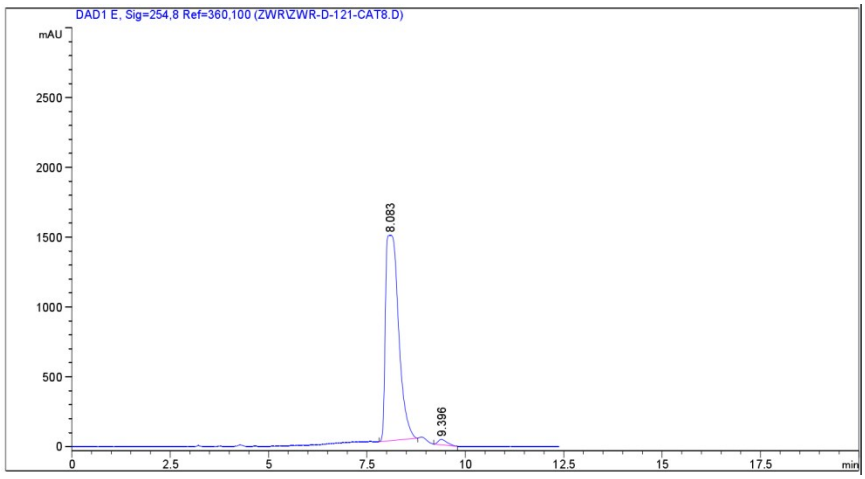
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

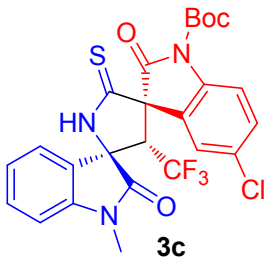
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.100	MM R	0.2888	2.66738e4	1539.61145	49.8888
2	9.226	MM R	0.3243	2.67927e4	1376.81360	50.1112

HPLC spectrum of the chiral compound



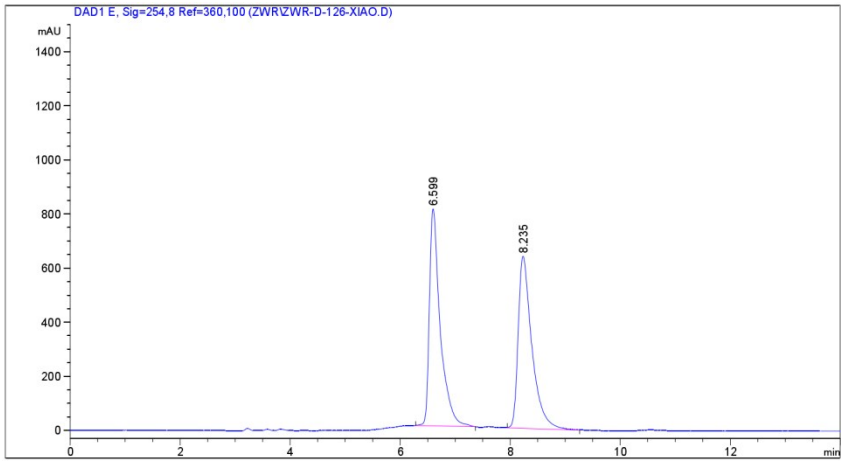
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.083	MM R	0.2802	3.41125e4	1470.91724	98.1812
2	9.396	MM R	0.2700	631.92914	39.00590	1.8188



3c

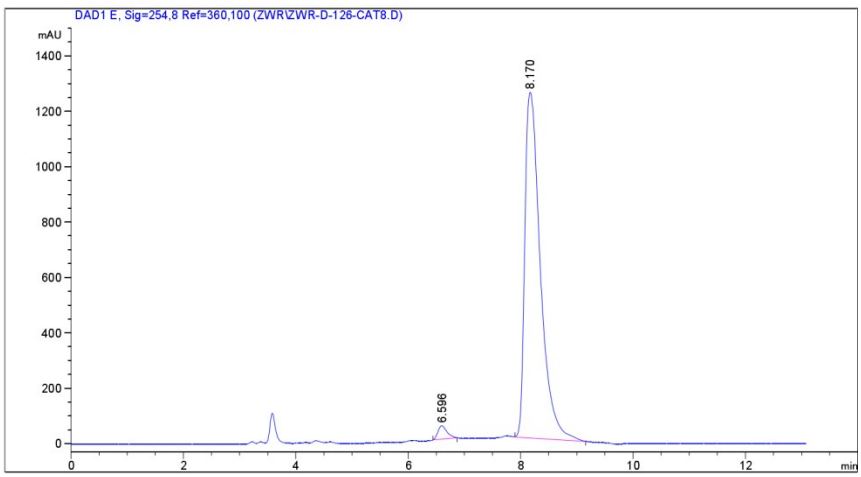
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

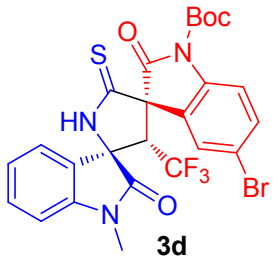
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.599	MM R	0.2274	1.09508e4	802.62402	49.9012
2	8.235	MM R	0.2882	1.09942e4	635.88031	50.0988

HPLC spectrum of the chiral compound



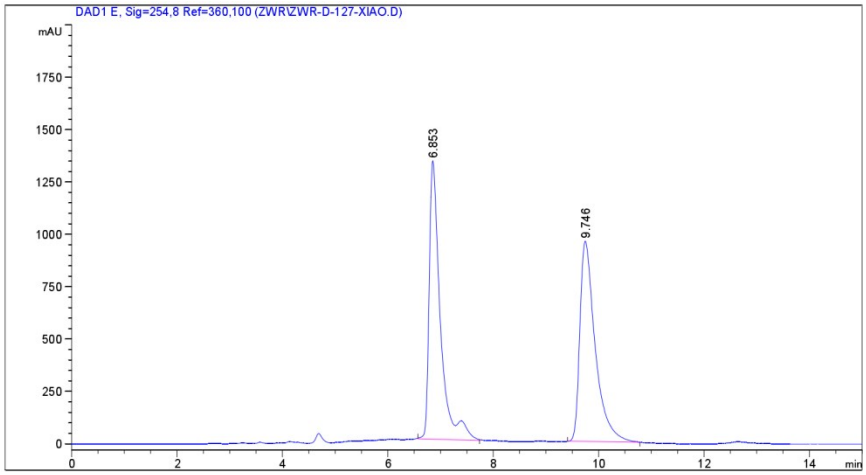
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.596	MM R	0.1851	534.64386	48.13615	2.1839
2	8.170	MM R	0.3196	2.39468e4	1248.75635	97.8161



3d

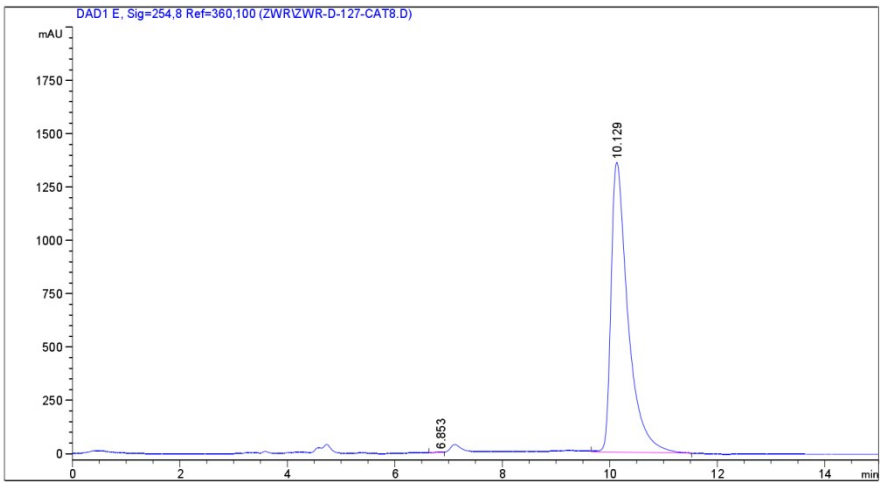
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

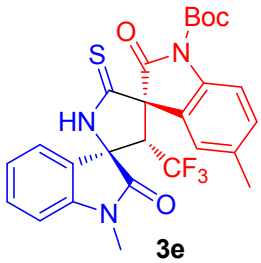
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.853	MM R	0.2447	1.95047e4	1328.61523	50.2875
2	9.746	MM R	0.3359	1.92817e4	956.58234	49.7125

HPLC spectrum of the chiral compound



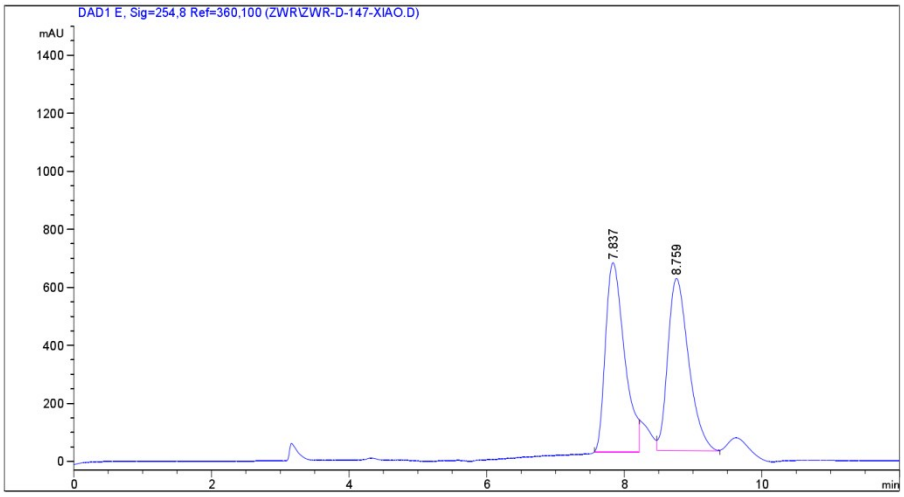
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.853	MM R	0.1633	14.98331	1.52920	0.0505
2	10.129	MM R	0.3641	2.96773e4	1358.56873	99.9495



3e

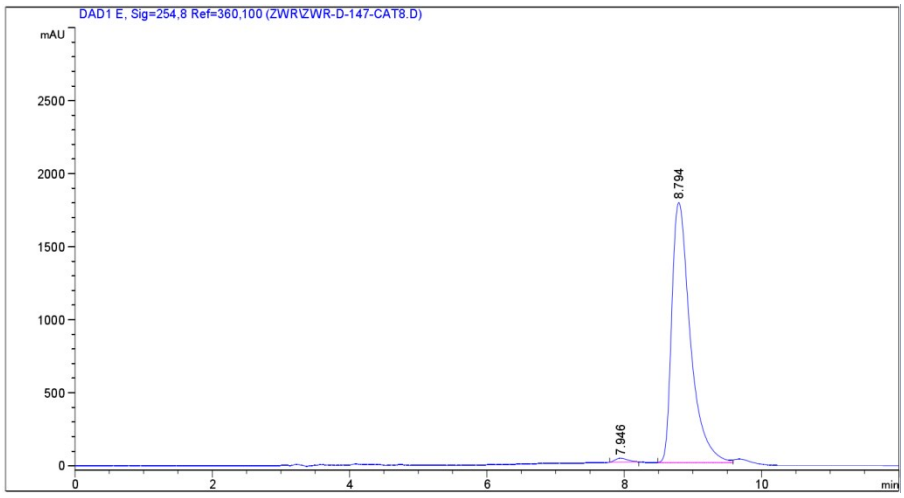
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

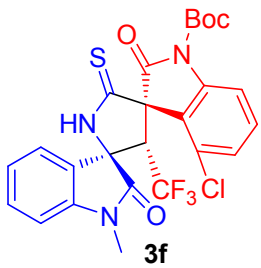
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.837	MM R	0.3208	1.25785e4	653.51947	50.1424
2	8.759	MM R	0.3513	1.25071e4	593.29553	49.8576

HPLC spectrum of the chiral compound



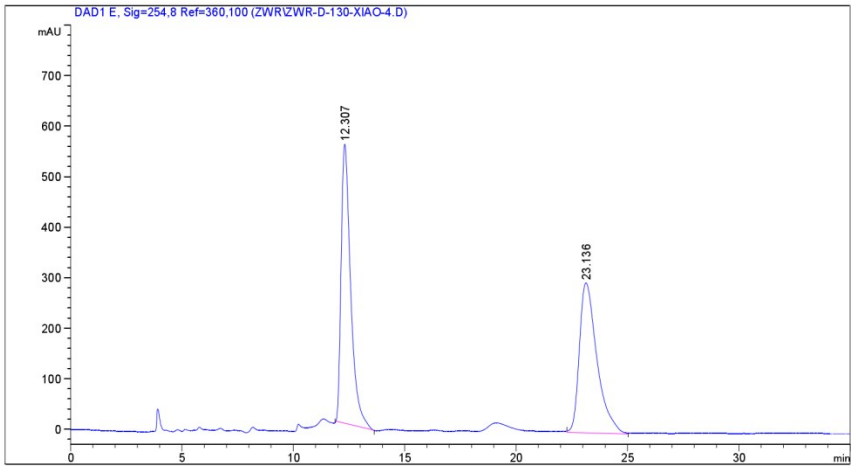
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.946	MM R	0.2043	310.82370	25.35447	0.9205
2	8.794	MM R	0.3129	3.34571e4	1782.12634	99.0795



3f

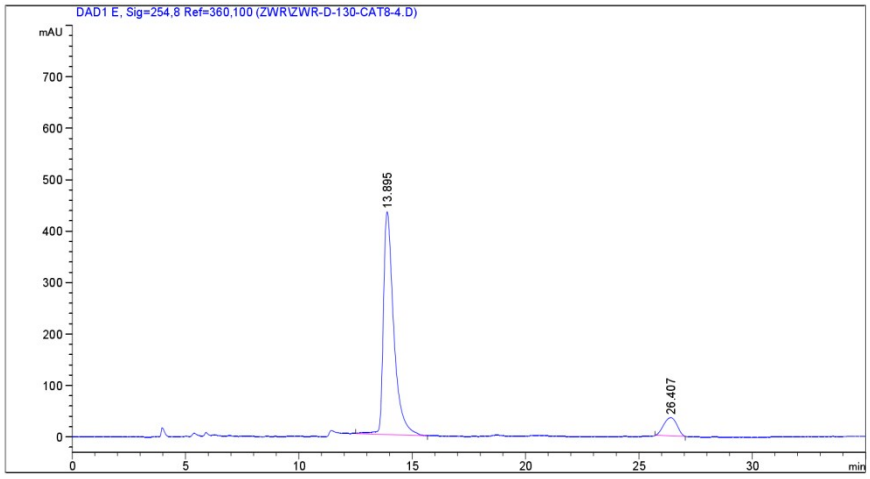
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

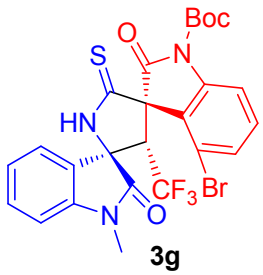
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.307	MM R	0.4941	1.63771e4	552.44910	50.1465
2	23.136	MM R	0.9141	1.62814e4	296.87244	49.8535

HPLC spectrum of the chiral compound



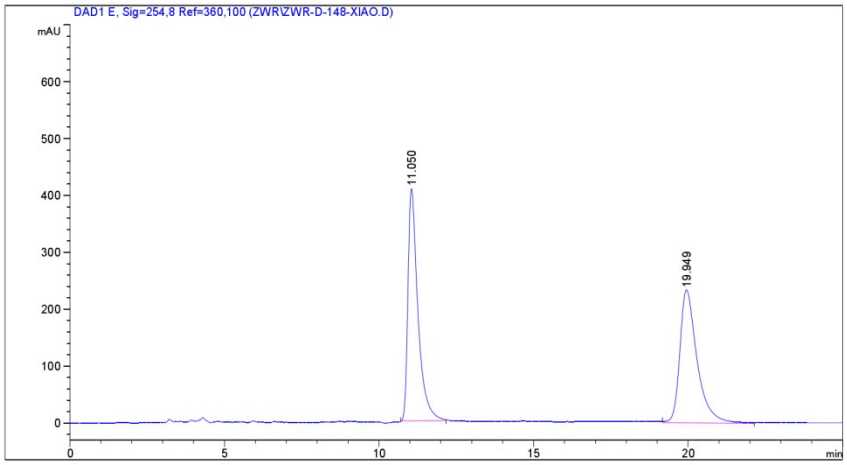
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.895	MM R	0.5282	1.37267e4	433.13150	90.6296
2	26.407	MM R	0.6724	1419.22510	35.17617	9.3704



3g

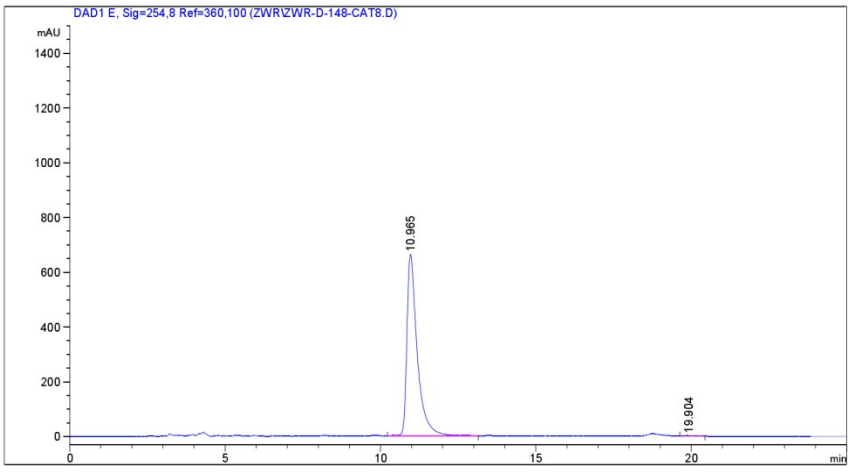
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

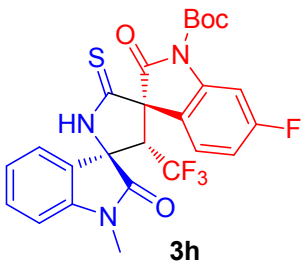
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.050	MM R	0.3730	9125.70605	407.74939	50.0514
2	19.949	MM R	0.6495	9106.97559	233.67581	49.9486

HPLC spectrum of the chiral compound



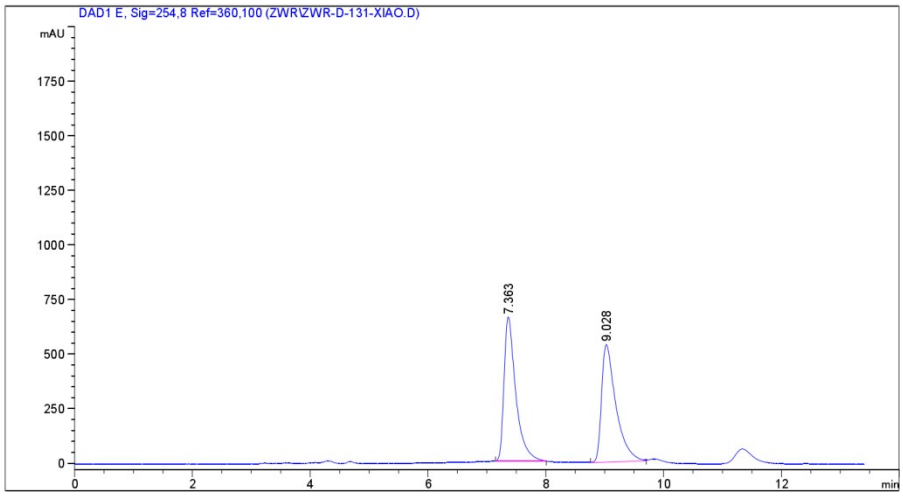
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.965	MM R	0.3857	1.53523e4	663.36743	99.7175
2	19.904	MM R	0.5260	43.50061	1.37825	0.2825



3h

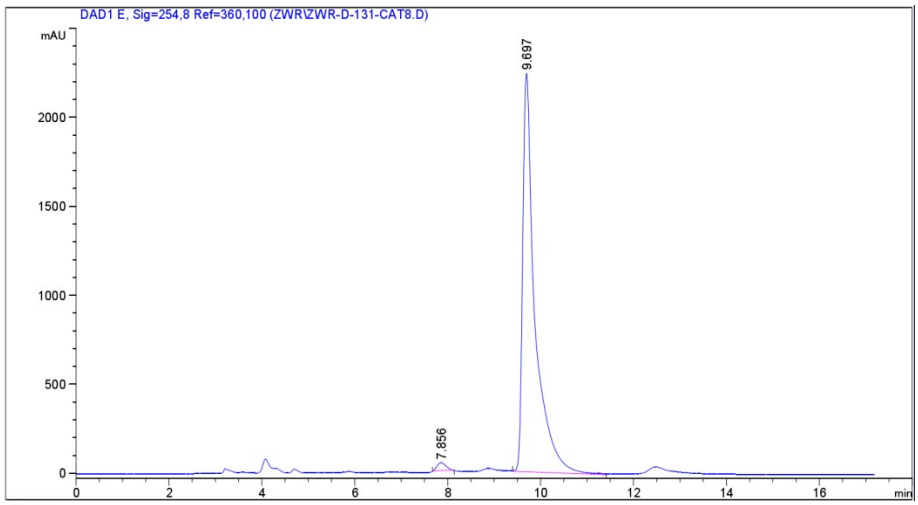
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

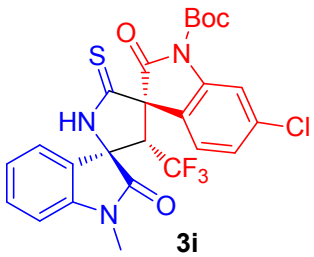
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.363	MM R	0.2306	9123.72754	659.45148	49.9193
2	9.028	MM R	0.2829	9153.22559	539.31946	50.0807

HPLC spectrum of the chiral compound



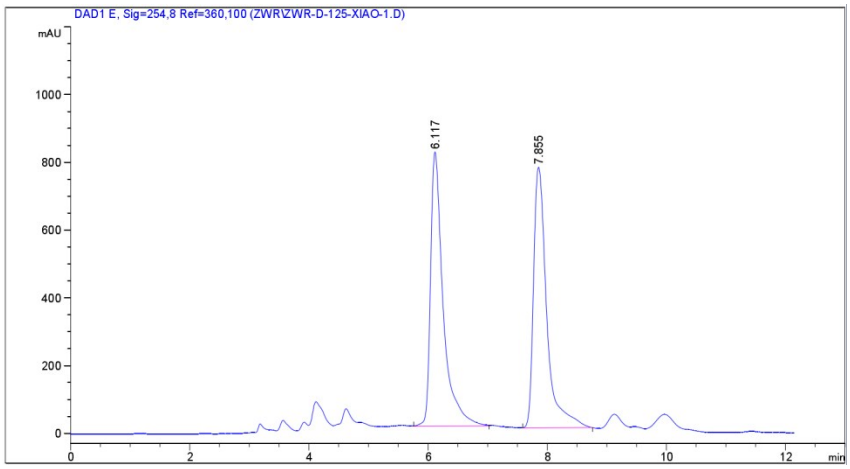
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.856	MM R	0.2171	577.64111	44.34016	1.3945
2	9.697	MM R	0.3039	4.08450e4	2240.23193	98.6055



3i

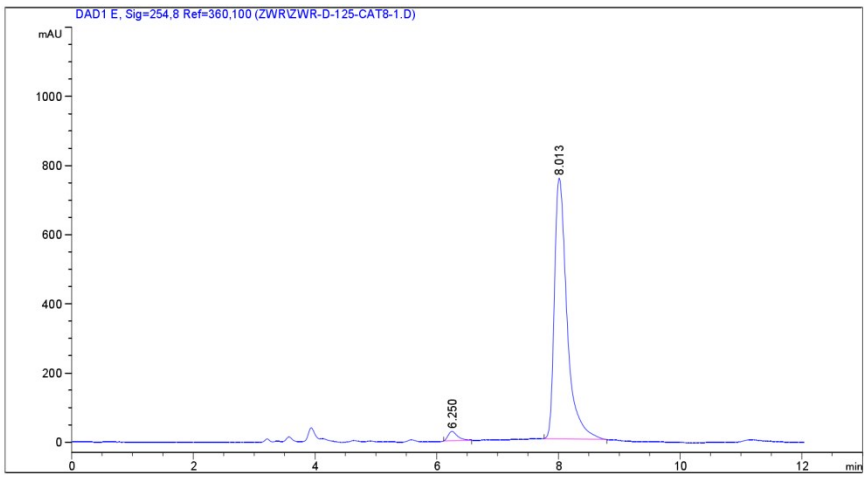
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

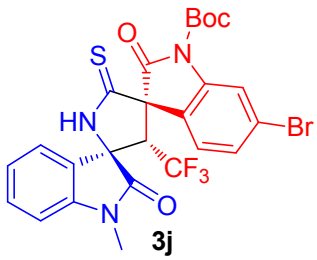
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.117	MM R	0.2454	1.19174e4	809.50934	50.1988
2	7.855	MM R	0.2560	1.18230e4	769.78674	49.8012

HPLC spectrum of the chiral compound



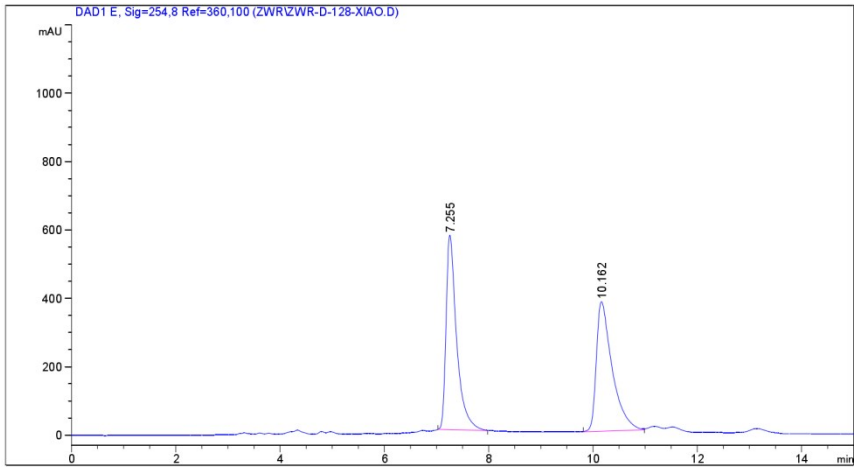
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.250	MM R	0.1799	294.77707	27.30299	2.6430
2	8.013	MM R	0.2398	1.08582e4	754.74048	97.3570



3j

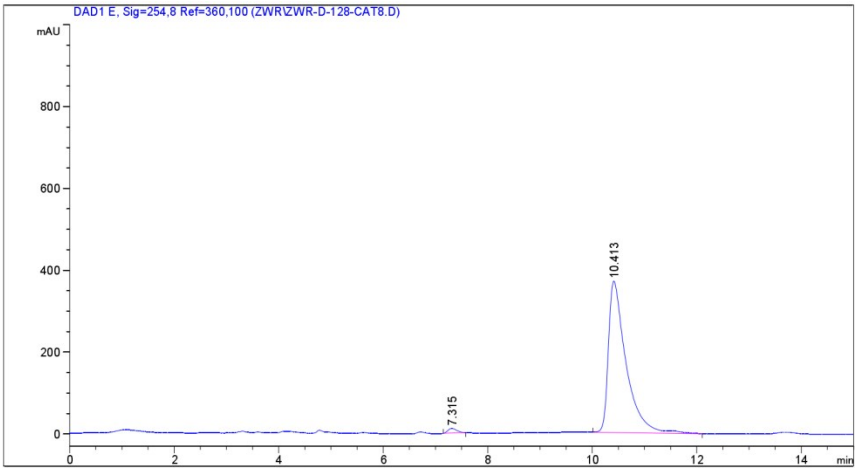
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

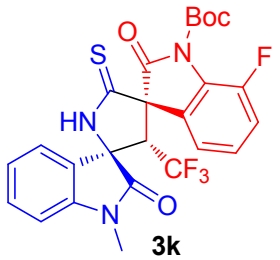
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.255	MM R	0.2341	7999.33252	569.39673	50.0797
2	10.162	MM R	0.3507	7973.87549	379.00204	49.9203

HPLC spectrum of the chiral compound



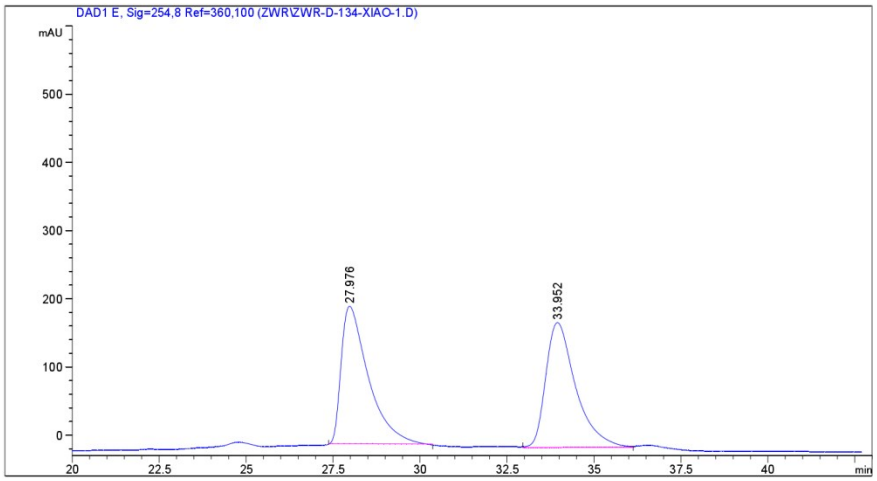
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.315	MM R	0.1901	128.24518	11.24624	1.4611
2	10.413	MM R	0.3895	8649.04688	370.07364	98.5389



3k

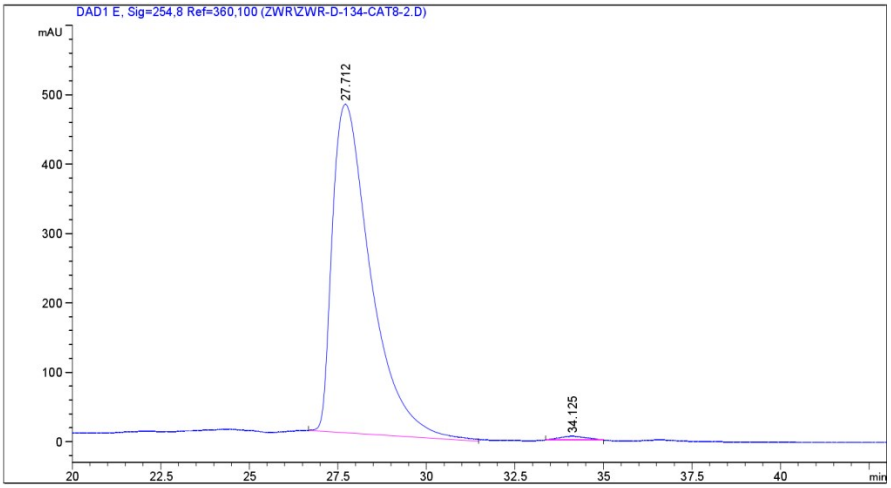
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

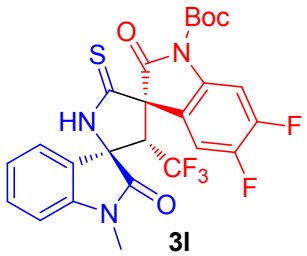
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	27.976	MM R	0.9037	1.09313e4	201.59564	50.0075
2	33.952	MM R	0.9953	1.09280e4	182.98953	49.9925

HPLC spectrum of the chiral compound



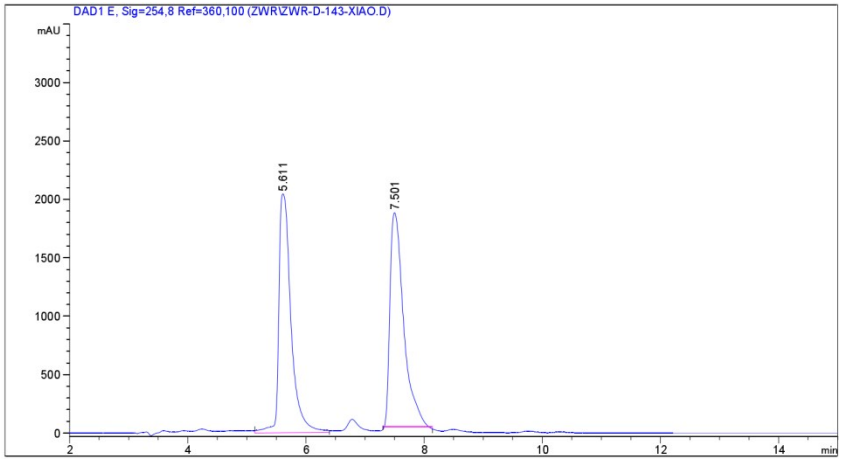
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	27.712	MM R	1.2580	3.57338e4	473.40793	99.2913
2	34.125	MM R	0.8665	255.04297	4.90588	0.7087



3l

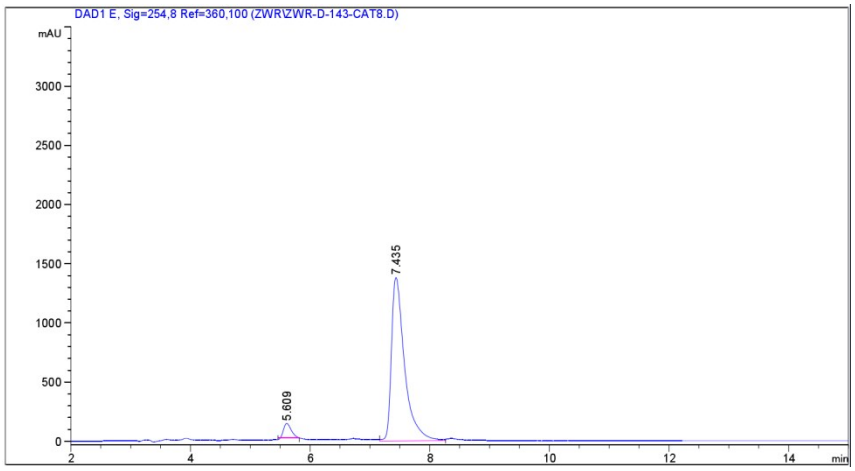
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

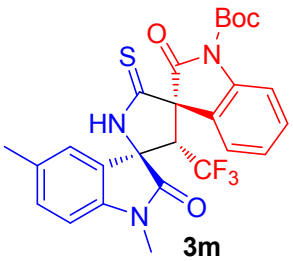
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.611	MM R	0.2391	2.93263e4	2044.33374	49.9273
2	7.501	MM R	0.2679	2.94117e4	1829.65417	50.0727

HPLC spectrum of the chiral compound



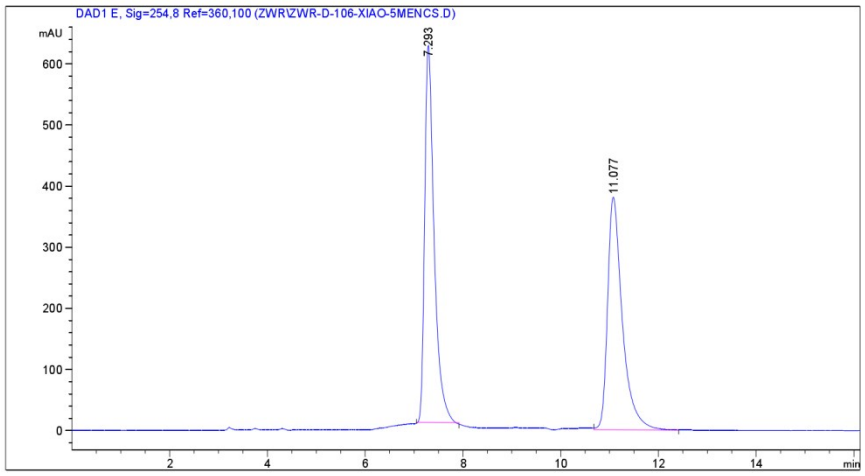
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.609	MM R	0.1457	1062.92322	121.59606	4.8042
2	7.435	MM R	0.2542	2.10618e4	1381.02710	95.1958



3m

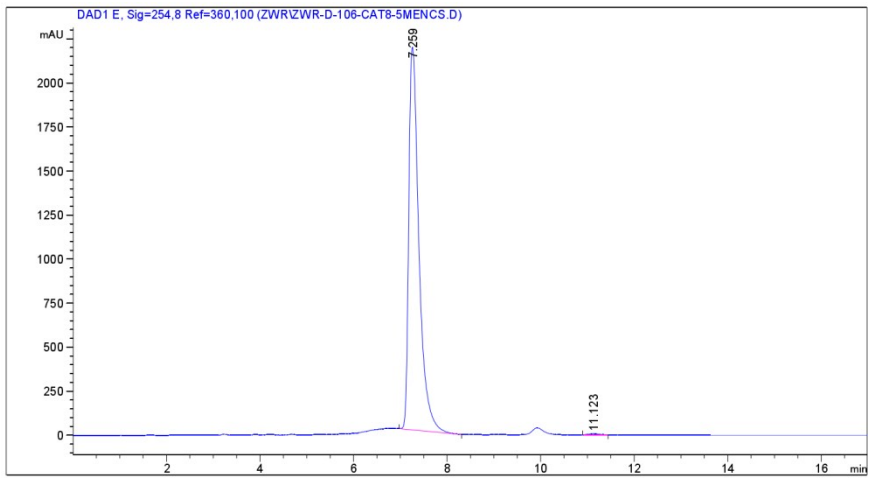
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

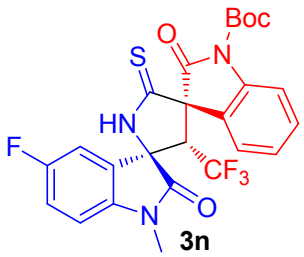
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.293	MM R	0.2239	8281.91895	616.56372	50.8883
2	11.077	MM R	0.3498	7992.78125	380.86148	49.1117

HPLC spectrum of the chiral compound



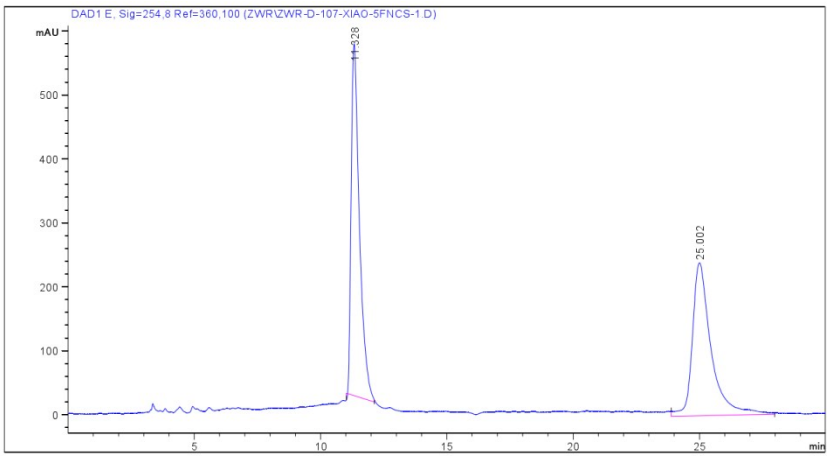
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.259	MM R	0.2507	3.27008e4	2174.21240	99.6851
2	11.123	MM R	0.2680	103.30305	6.42353	0.3149



3n

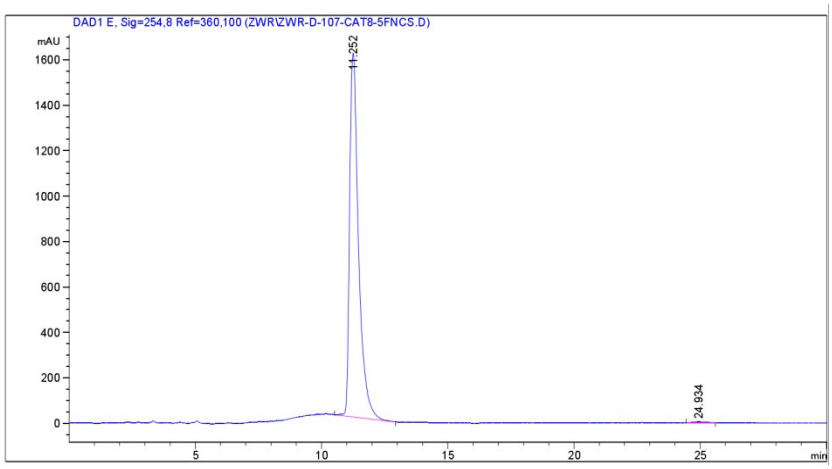
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

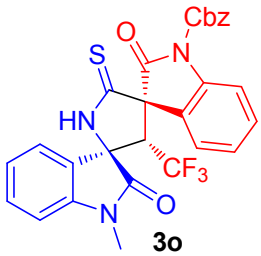
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.328	MM R	0.3779	1.24548e4	549.31073	50.2972
2	25.002	MM R	0.8578	1.23076e4	239.13077	49.7028

HPLC spectrum of the chiral compound



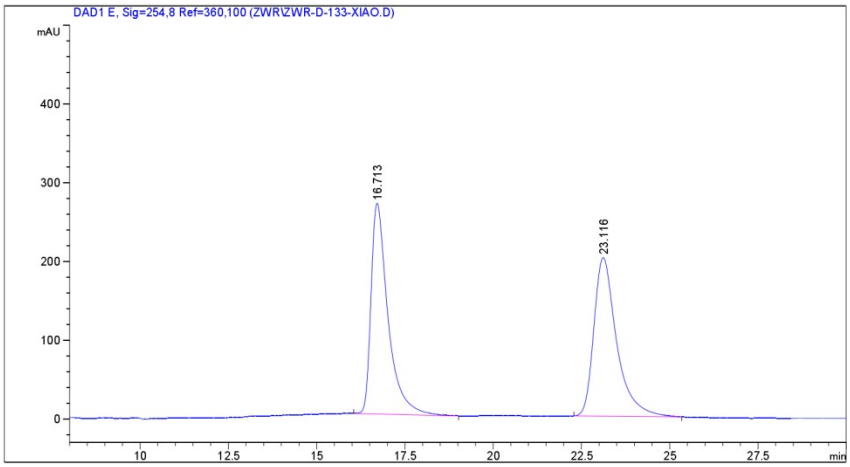
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.252	MM R	0.4101	3.94065e4	1601.40344	99.6376
2	24.934	MM R	0.6047	143.33041	3.95034	0.3624



3o

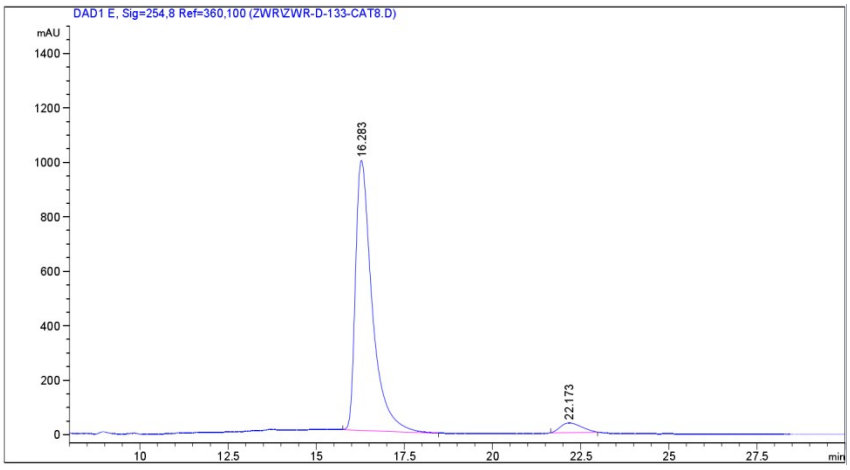
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=254,8 Ref=360,100

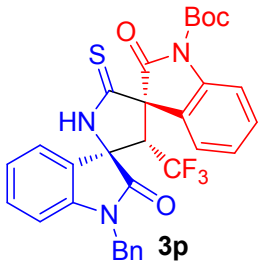
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.713	MM R	0.5563	8933.04492	267.64093	49.6662
2	23.116	MM R	0.7490	9053.11133	201.45735	50.3338

HPLC spectrum of the chiral compound



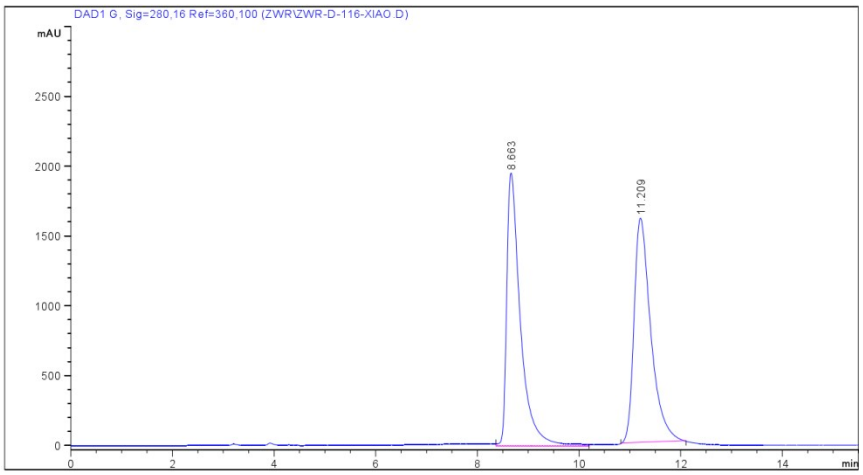
信号 1: DAD1 E, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.283	MM R	0.5489	3.26652e4	991.77917	95.6135
2	22.173	MM R	0.6962	1498.58044	35.87554	4.3865



3p

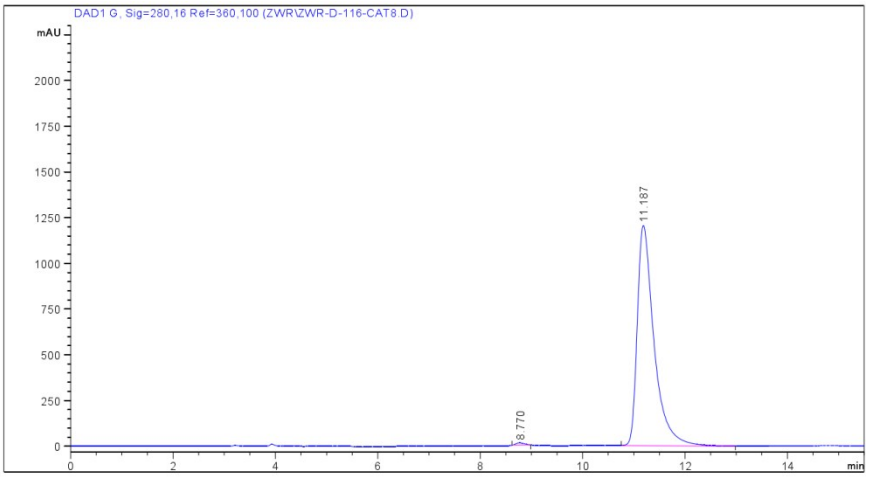
HPLC spectrum of the racemate



信号 1: DAD1 G, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.663	MM R	0.3124	3.66421e4	1954.87927	50.0110
2	11.209	MM R	0.3804	3.66259e4	1604.69629	49.9890

HPLC spectrum of the chiral compound



信号 1: DAD1 G, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.770	MM R	0.1856	130.01669	11.67748	0.4715
2	11.187	MM R	0.3797	2.74425e4	1204.56946	99.5285