

Supporting Information

Asymmetric C3-Alenylation of Carbazoles with α -Indolyl Propargylic Alcohols

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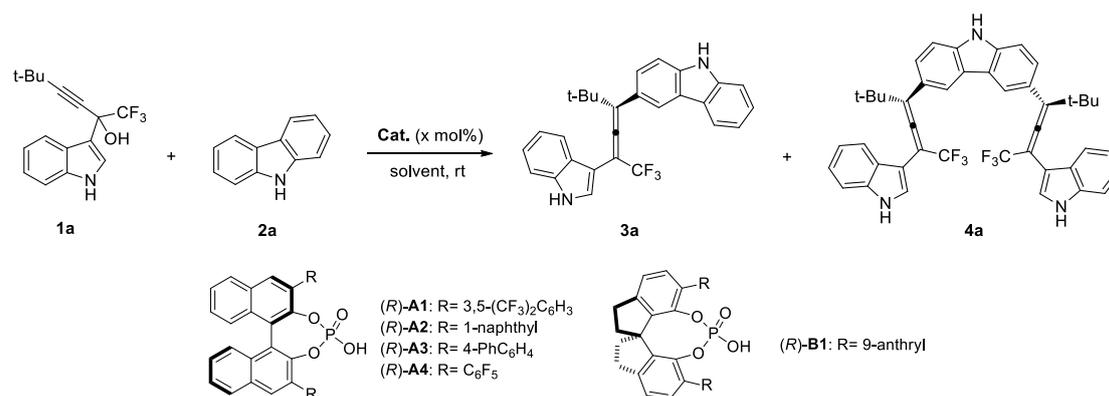
1. General Information

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). ^1H , ^{13}C and ^{19}F NMR spectra were recorded on Bruker 400 MHz or 500 MHz spectrometer in CDCl_3 unless otherwise noted. Chemical shifts in ^1H NMR spectra are reported in parts per million (ppm, δ) downfield from the internal standard Me_4Si (TMS, $\delta = 0$ ppm). Chemical shifts in ^{13}C NMR spectra are reported relative to the central line of the chloroform signal ($\delta = 77.0$ ppm). Data are presented as follows: chemical shift, integration, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet) and coupling constant in Hertz (Hz). HPLC analyses were conducted on an Agilent instrument using Daicel Chiralpak IA, Chiralcel AD-H, OD-H columns. High resolution mass spectra were obtained with a Shimadzu LCMS-IT-TOF mass spectrometer. The single crystal X-ray diffraction studies were carried out on an Xcalibur Onyx Nova diffractometer equipped with $\text{CuK}\alpha$ radiation. Substrates **1** and **2** were synthesized according to the literature method.¹

2. Screening of Catalysts and Condition Optimization

a. Condition optimization for the synthesis of **3a** and **4a**.

Table S1. Screening of catalysts and optimization of reaction conditions^[a]



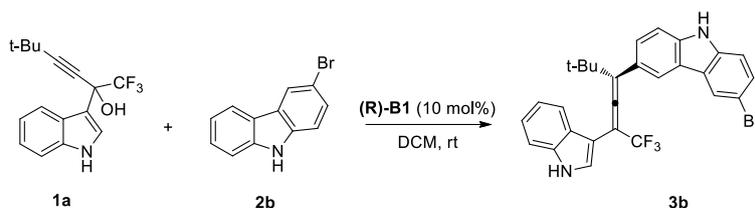
Entry	Catalyst (x mol%)	Solvent (1 mL)	1a : 2a	Additive (x mg)	Time	Yield ^[b] (3a/4a) [%]	d_r ^[c] (4a)	ee ^[d] (3a/4a) [%]
1	$(R)\text{-A1}$ (10)	DCM	1:1.2	--	20 h	84/6	73:27	60/86
2	$(R)\text{-A2}$ (10)	DCM	1:1.2	--	20 h	82/15	81:19	72/91
3	$(R)\text{-A3}$ (10)	DCM	1:1.2	--	20 h	81/12	91:9	84/95
4	$(R)\text{-A4}$ (10)	DCM	1:1.2	--	20 h	82/8	72:28	50/86
5	$(R)\text{-B1}$ (10)	DCM	1:1.2	--	20 h	80/16	>95:5	96/>99
6	$(R)\text{-B1}$ (5)	DCM	1:1.2	--	20 h	76/20	>95:5	96/>99
7	$(R)\text{-B1}$ (10)	DCM	1:1.2	MgSO_4 (30)	16 h	80/15	>95:5	96/96
8	$(R)\text{-B1}$ (10)	DCE	1:1.2	--	56 h	76/17	>95:5	95/96
9	$(R)\text{-B1}$ (10)	CHCl_3	1:1.2	--	72 h	78/15	>95:5	92/>99
10	$(R)\text{-B1}$ (10)	toluene	1:1.2	--	84 h	64/28	>95:5	92/99
11	$(R)\text{-B1}$ (10)	THF	1:1.2	--	96 h	NR	--	--/--
12 ^[e]	$(R)\text{-B1}$ (10)	DCM	1:1.2	--	6 d	30/65	>95:5	94/>99
13	$(R)\text{-B1}$ (5)	DCM	2:1	--	5 d	<5/82	>95:5	--/>99
14	$(R)\text{-B1}$ (5)	DCM	2:1	MgSO_4 (30)	4 d	<5/83	>95:5	--/98
15	$(R)\text{-B1}$ (5)	DCM	2:1	3Å MS (30)	9 d	36/48	90:10	--/87
16	$(R)\text{-B1}$ (5)	DCM	2:1	4Å MS (30)	7 d	25/57	91:9	--/88
17	$(R)\text{-B1}$ (5)	DCM	2:1	5Å MS (30)	8 d	40/53	>95:5	--/38
18 ^[f]	$(R)\text{-B1}$ (5)	DCM	2:1	$\text{B}(\text{C}_6\text{F}_5)_3$	20 h	<5/80	91:9	--/>99

19 ^[e] [f]	(<i>R</i>)- B1 (5)	DCM	2:1	B(C ₆ F ₅) ₃	7 d	15/82	4:1	--/>>99
20 ^[f]	(<i>R</i>)- B1 (5)	DCM	2.2:1	B(C ₆ F ₅) ₃	36 h	<5/83	91:9	--/>>99
21 ^[f]	(<i>R</i>)- B1 (5)	DCM	2.5:1	B(C ₆ F ₅) ₃	36 h	<5/85	93:7	--/>>99
22^[f]	(<i>R</i>)-B1 (5)	DCM	3:1	B(C₆F₅)₃	30 h	<5/87	>95:5	--/>>99
23	(<i>R</i>)- B1 (10)	DCM	2.2:1	--	4 d	<5/84	>95:5	--/>>99

[a] Unless otherwise specified, all reactions were carried out with catalyst (x mol%), **1a** (0.05 mmol) and **2a** (0.06 mmol) in the indicated solvent (x mL) at room temperature. [b] Isolated yield of **3a** and **4a**. [c] Determined by chiral-phase HPLC analysis. [d] Determined by chiral-phase HPLC analysis. [e] performed at 10 °C. [f] 5 mol% B(C₆F₅)₃ was used.

b. Condition optimization for the synthesis of **3b**.

Table S2. Screening of optimization of reaction conditions^[a]

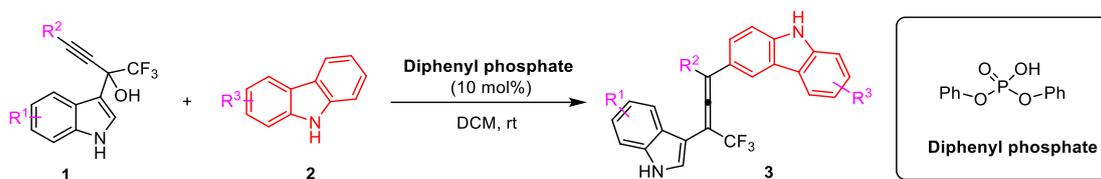


Entry	Catalyst (x mol%)	Solvent (x mL)	1a : 2b	Temperature [°C]	Additive	Time	Yield ^[b] [%]	<i>ee</i> ^[c]
1	(<i>R</i>)- B1 (10)	DCM (1)	1:1.2	rt	--	48 h	95	96
2^[d]	(<i>R</i>)-B1 (10)	DCM (1)	1:1.2	rt	B(C₆F₅)₃	16 h	95	96

[a] Unless otherwise specified, all reactions were carried out with catalyst (x mol%), **1a** (0.05 mmol) and **2b** (0.06 mmol) in DCM (x mL) at room temperature. [b] Isolated yield of **3b**. [c] Determined by chiral-phase HPLC analysis. [d] 5 mol% B(C₆F₅)₃ was used.

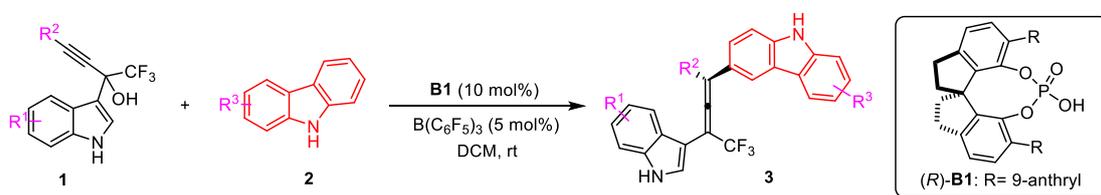
3. Experimental Procedure and Characterization of Products 3 and 4

a. General Procedure to Prepare Racemic Products 3



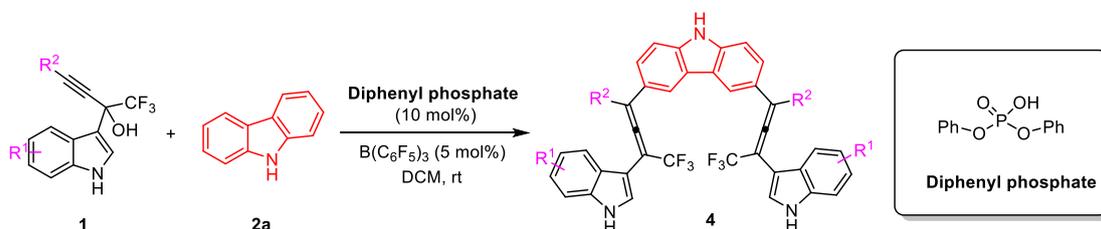
To a solution of α -indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), and catalyst diphenyl phosphate (0.01 mmol, 10 mol%) in DCM (1 mL) was added carbazoles **2** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired racemic products **3**.

b. General Procedure to Prepare Chiral Products 3



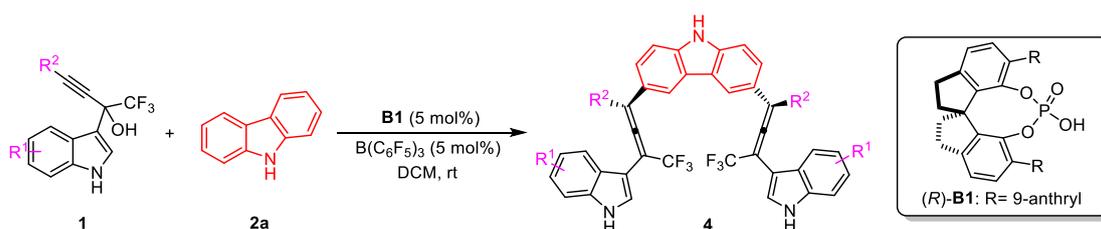
To a solution of α -indolyl propargylic alcohol **1** (0.05 mmol, 1.0 equiv.), $B(C_6F_5)_3$ (5 mol%) and catalyst (*R*)-**B1** (0.005 mmol, 10 mol%) in DCM (1 mL) was added carbazoles **2** (0.06 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired chiral products **3**.

c. General Procedure to Prepare Racemic Products 4



To a solution of α -indolyl propargylic alcohol **1** (0.15 mmol, 3.0 equiv.), $B(C_6F_5)_3$ (5 mol%) and catalyst diphenyl phosphate (0.01 mmol, 10 mol%) in DCM (1 mL) was added carbazole **2a** (0.05 mmol, 1.0 equiv.). 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 = 10:1~3:1) to give the desired racemic products **4**.

d. General Procedure to Prepare Chiral Products 4

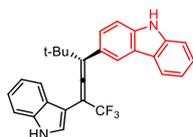


To a solution of α -indolyl propargylic alcohol **1** (0.15 mmol, 3.0 equiv.), $B(C_6F_5)_3$ (5 mol%) and catalyst (*R*)-**B1**

(0.0025 mmol, 5 mol%) in DCM (1 mL) was added carbazole **2a** (0.05 mmol, 1.0 equiv.). 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 =10:1~3:1) to give the desired chiral products **4**.

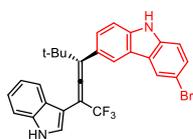
e. Analytical Data for Products **3** and **4**

(*R*)-3-(6,6,6-trifluoro-5-(1*H*-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9*H*-carbazole (**3a**)



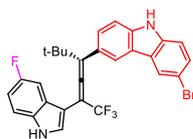
white solid, 12 h, 82% yield, 96% *ee*, $[\alpha]_D^{20} = +267.13$ ($c = 0.24$, MeOH). **¹H NMR** (500 MHz, CDCl₃) δ 8.11 (s, 1H), 8.06 (d, $J = 7.5$ Hz, 2H), 8.01 (d, $J = 7.7$ Hz, 1H), 7.91 (s, 1H), 7.42 (d, $J = 8.4$ Hz, 1H), 7.40 – 7.35 (m, 1H), 7.35 – 7.29 (m, 3H), 7.26 (s, 1H), 7.23 – 7.15 (m, 3H), 1.31 (s, 9H). **¹³C NMR** (126 MHz, CDCl₃) δ 201.60, 139.81, 138.79, 136.19, 127.12, 126.93, 126.05, 125.72, 124.24 (q, $J = 274.5$ Hz), 123.85, 123.21, 123.17, 122.65, 122.63, 120.63, 120.41, 120.25, 120.20, 119.57, 111.35, 110.69, 110.26, 105.47, 95.72 (q, $J = 35.1$ Hz), 36.07, 29.41. **¹⁹F NMR** (376 MHz, CDCl₃) δ -61.93. **HRMS** (ESI): m/z calcd. for C₂₈H₂₃F₃N₂ [M-H]⁻: 443.0831; found: 443.0842. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate 1 mL·min⁻¹, $\lambda = 254$ nm): $t_{\text{major}} = 14.4$ min, $t_{\text{minor}} = 13.2$ min.

(*R*)-3-bromo-6-(6,6,6-trifluoro-5-(1*H*-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9*H*-carbazole (**3b**)



white solid, 16 h, 95% yield, 96% *ee*, $[\alpha]_D^{20} = -69.16$ ($c = 0.12$, MeOH). **¹H NMR** (500 MHz, CDCl₃) δ 8.16 (s, 1H), 8.11 (s, 1H), 8.00 (d, $J = 7.4$ Hz, 1H), 7.95 (s, 1H), 7.85 (s, 1H), 7.41 (d, $J = 8.5$ Hz, 2H), 7.33 (d, $J = 8.3$ Hz, 1H), 7.29 – 7.19 (m, 4H), 7.16 – 7.09 (m, 1H), 1.29 (s, 9H). **¹³C NMR** (126 MHz, CDCl₃) δ 201.62, 139.16, 138.41, 136.31, 128.77, 127.91, 127.42, 125.72, 125.02, 124.28 (q, $J = 278.7$ Hz), 123.83, 123.17, 122.92, 122.82, 122.24, 120.72, 120.45, 120.18, 112.42, 112.17, 111.56, 110.57, 105.37, 96.00 (q, $J = 35.0$ Hz), 36.17, 29.45. **¹⁹F NMR** (376 MHz, CDCl₃) δ -61.89. **HRMS** (ESI): m/z calcd. for C₂₈H₂₂N₂F₃ [M+H]⁺: 523.0991; found: 523.1017. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak OD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min⁻¹, $\lambda = 254$ nm): $t_{\text{major}} = 12.0$ min, $t_{\text{minor}} = 13.0$ min.

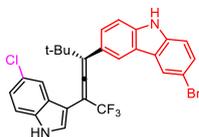
(*R*)-3-bromo-6-(6,6,6-trifluoro-5-(5-fluoro-1*H*-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9*H*-carbazole (**3c**)



white foam, 30 h, 96% yield, 98% *ee*, $[\alpha]_D^{20} = +328.27$ ($c = 0.25$, MeOH). **¹H NMR** (400 MHz, CDCl₃) δ 8.20 (s, 1H), 8.17 (d, $J = 1.1$ Hz, 1H), 7.98 (s, 2H), 7.66 (dd, $J = 10.2, 2.2$ Hz, 1H), 7.43 (d, $J = 8.5$ Hz, 2H), 7.32 (d, $J = 8.5$ Hz, 2H), 7.25 – 7.15 (m, 2H), 6.98 (t, $J = 7.7$ Hz, 1H), 1.30 (s, 9H). **¹³C NMR** (126 MHz, CDCl₃) δ 201.30, 159.21, 157.34, 139.24, 138.46, 132.76, 128.87, 127.32, 125.03, 124.36 (d, $J = 2.4$ Hz), 124.25, 124.16 (q, $J = 274.3$ Hz), 123.26, 122.35, 120.79,

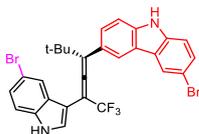
112.50, 112.19, 112.07, 111.38, 111.17, 110.68, 105.44, 105.24, 95.76 (q, $J = 35.0$ Hz), 36.25, 29.40. **^{19}F NMR** (376 MHz, CDCl_3) δ -62.02, -123.09. **HRMS** (ESI): m/z calcd. for $\text{C}_{28}\text{H}_{21}\text{N}_2\text{F}_4\text{Br}$ $[\text{M}+\text{H}]^+$: 541.0862; found: 541.0867. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak OD-H column (hexane/*i*-PrOH = 94/6, flow rate 0.8 $\text{mL}\cdot\text{min}^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 38.3$ min, $t_{\text{minor}} = 41.5$ min.

(*R*)-3-bromo-6-(5-(5-chloro-1H-indol-3-yl)-6,6,6-trifluoro-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3d**)



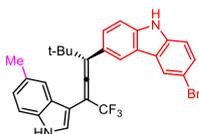
colorless oil, 36 h, 95% yield, 98% *ee*, $[\alpha]_D^{20} = +277.36$ ($c = 0.26$, MeOH). **^1H NMR** (400 MHz, CDCl_3) δ 8.24 (s, 2H), 8.09 (d, $J = 10.4$ Hz, 2H), 8.01 (s, 1H), 7.57 – 7.46 (m, 2H), 7.39 (d, $J = 8.7$ Hz, 1H), 7.33 (s, 1H), 7.27 (d, $J = 8.7$ Hz, 1H), 7.22 (d, $J = 9.2$ Hz, 2H), 1.35 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.30, 139.24, 138.45, 134.57, 128.86, 127.83, 127.27, 126.78, 126.19, 125.02, 124.23, 124.08 (q, $J = 274.4$ Hz), 123.86 (d, $J = 2.3$ Hz), 123.32, 123.12, 122.36, 120.81, 119.81, 112.48, 112.43, 112.18, 110.73, 105.07, 95.57 (q, $J = 35.1$ Hz), 36.33, 29.30. **^{19}F NMR** (376 MHz, CDCl_3) δ -62.13. **HRMS** (ESI): m/z calcd. for $\text{C}_{28}\text{H}_{21}\text{N}_2\text{F}_3\text{Cl}$ Br M^- : 556.0534; found: 556.0562. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 0.8 $\text{mL}\cdot\text{min}^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 48.5$ min, $t_{\text{minor}} = 51.9$ min.

(*R*)-3-bromo-6-(5-(5-bromo-1H-indol-3-yl)-6,6,6-trifluoro-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3e**)



white foam, 28 h, 90% yield, 97% *ee*, $[\alpha]_D^{20} = +220.93$ ($c = 0.25$, MeOH). **^1H NMR** (400 MHz, CDCl_3) δ 8.24 – 8.17 (m, 3H), 8.03 (dd, $J = 6.9, 6.1$ Hz, 1H), 7.97 (s, 1H), 7.45 (dd, $J = 3.7, 1.8$ Hz, 1H), 7.43 (dd, $J = 3.9, 1.8$ Hz, 1H), 7.37 – 7.32 (m, 1H), 7.29 (dd, $J = 8.6, 1.9$ Hz, 1H), 7.27 – 7.25 (m, 1H), 7.19 (d, $J = 2.8$ Hz, 1H), 7.17 (s, 1H), 1.29 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.27, 139.26, 138.46, 134.86, 128.87, 127.88, 127.41, 127.29, 125.66, 125.07, 124.25, 124.06 (q, $J = 274.6$ Hz), 123.65, 123.43, 122.92, 122.40, 120.77, 113.74, 112.84, 112.50, 112.18, 110.75, 104.99, 95.57 (q, $J = 35.2$ Hz), 36.35, 29.30. **^{19}F NMR** (376 MHz, CDCl_3) δ -62.18. **HRMS** (ESI): m/z calcd. for $\text{C}_{28}\text{H}_{21}\text{N}_2\text{F}_3\text{Br}_2$ M^- : 600.0029; found: 600.0005. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak OD-H column (hexane/*i*-PrOH = 94/6, flow rate 1 $\text{mL}\cdot\text{min}^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 32.9$ min, $t_{\text{minor}} = 36.4$ min.

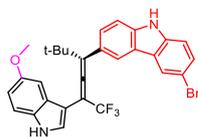
(*R*)-3-bromo-6-(6,6,6-trifluoro-2,2-dimethyl-5-(5-methyl-1H-indol-3-yl)hexa-3,4-dien-3-yl)-9H-carbazole (**3f**)



white foam, 20 h, 92% yield, 98% *ee*, $[\alpha]_D^{20} = +236.73$ ($c = 0.25$, MeOH). **^1H NMR** (400 MHz, CDCl_3) δ 8.18 – 8.06 (m, 2H), 7.97 (s, 1H), 7.89 (s, 1H), 7.83 (s, 1H), 7.43 (s, 1H), 7.41 (s, 1H), 7.27 (d, $J = 8.4$ Hz, 1H), 7.26 – 7.21 (m, 2H), 7.14 (d, $J = 8.6$ Hz, 1H), 7.07 (d, $J = 9.5$ Hz, 1H), 2.50 (s, 3H), 1.30 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.56, 139.17, 138.43, 134.58, 129.67, 128.78, 127.94, 127.60, 126.01, 125.03, 124.42, 124.28 (q, $J = 274.2$ Hz), 123.53, 123.14,

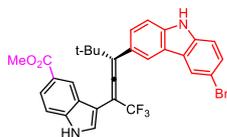
122.89 (d, $J = 2.2$ Hz), 122.24, 120.73, 120.02, 112.42, 112.17, 111.14, 110.57, 104.78, 96.06 (q, $J = 34.8$ Hz), 36.22, 29.39, 21.77. **^{19}F NMR** (376 MHz, CDCl_3) δ -61.99. **HRMS** (ESI): m/z calcd. for $\text{C}_{29}\text{H}_{24}\text{N}_2\text{F}_3\text{Br}$ $[\text{M}+\text{H}]^+$: 536.1080; found: 536.1087. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $0.8 \text{ mL} \cdot \text{min}^{-1}$, $\lambda = 254 \text{ nm}$): $t_{\text{major}} = 14.4 \text{ min}$, $t_{\text{minor}} = 16.7 \text{ min}$.

(*R*)-3-bromo-6-(6,6,6-trifluoro-5-(5-methoxy-1*H*-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9*H*-carbazole (**3g**)



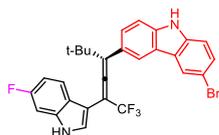
white foam, 12 h, 93% yield, 97% *ee*, $[\alpha]_D^{20} = +199.85$ ($c = 0.22$, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.12 (d, $J = 14.9$ Hz, 2H), 7.98 (d, $J = 21.2$ Hz, 2H), 7.43 (d, $J = 8.5$ Hz, 2H), 7.37 (d, $J = 2.3$ Hz, 1H), 7.29 – 7.24 (m, 2H), 7.22 (d, $J = 8.8$ Hz, 1H), 7.16 (d, $J = 8.5$ Hz, 1H), 6.89 (dd, $J = 8.8, 2.4$ Hz, 1H), 3.82 (s, 3H), 1.30 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.63, 154.72, 139.20, 138.47, 131.35, 128.85, 127.96, 127.51, 126.24, 124.99, 124.33 (q, $J = 274.5$ Hz), 124.11, 123.49, 123.11, 122.27, 120.66, 113.18, 112.47, 112.23, 112.22, 110.64, 105.06, 102.18, 96.20 (q, $J = 34.8$ Hz), 56.17, 36.14, 29.68. **^{19}F NMR** (376 MHz, CDCl_3) δ -61.89. **HRMS** (ESI): m/z calcd. for $\text{C}_{29}\text{H}_{24}\text{N}_2\text{OF}_3\text{Br}$ M^+ : 552.1030; found: 552.1008. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $0.8 \text{ mL} \cdot \text{min}^{-1}$, $\lambda = 254 \text{ nm}$): $t_{\text{major}} = 20.3 \text{ min}$, $t_{\text{minor}} = 22.4 \text{ min}$.

Methyl(*R*)-3-(4-(6-bromo-9*H*-carbazol-3-yl)-1,1,1-trifluoro-5,5-dimethylhexa-2,3-dien-2-yl)-1*H*-indole-5-carboxylate (**3h**)



white solid, 24 h, 96% yield, 96% *ee*, $[\alpha]_D^{20} = +247.28$ ($c = 0.27$, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.99 (s, 1H), 8.42 (s, 1H), 8.30 (d, $J = 4.8$ Hz, 2H), 8.01 (s, 1H), 7.91 (d, $J = 8.5$ Hz, 1H), 7.48 (d, $J = 8.3$ Hz, 1H), 7.40 (d, $J = 8.5$ Hz, 1H), 7.33 – 7.26 (m, 3H), 7.14 (d, $J = 8.4$ Hz, 1H), 4.05 (s, 3H), 1.31 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.13, 168.28, 139.23, 138.90, 138.45, 128.71, 127.97, 127.22, 125.28, 125.18, 124.47, 124.18, 124.10 (q, $J = 272.2$ Hz), 123.70 (d, $J = 2.2$ Hz), 123.60, 123.53, 122.42, 122.39, 121.11, 112.40, 112.12, 111.11, 110.50, 106.54, 95.68 (q, $J = 34.7$ Hz), 52.23, 36.43, 29.18. **^{19}F NMR** (376 MHz, CDCl_3) δ -62.14. **HRMS** (ESI): m/z calcd. for $\text{C}_{30}\text{H}_{24}\text{N}_2\text{O}_2\text{F}_3\text{Br}$ M^+ : 580.0979; found: 580.0963. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate $0.8 \text{ mL} \cdot \text{min}^{-1}$, $\lambda = 254 \text{ nm}$): $t_{\text{major}} = 8.3 \text{ min}$, $t_{\text{minor}} = 9.1 \text{ min}$.

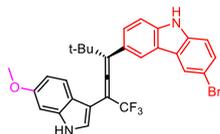
(*R*)-3-bromo-6-(6,6,6-trifluoro-5-(6-fluoro-1*H*-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9*H*-carbazole (**3i**)



colorless oil, 20 h, 95% yield, 96% *ee*, $[\alpha]_D^{20} = +282.93$ ($c = 0.25$, MeOH). **^1H NMR** (400 MHz, CDCl_3) δ 8.16 (s, 2H), 7.97 (s, 2H), 7.87 (dd, $J = 8.7, 5.3$ Hz, 1H), 7.48 – 7.40 (m, 2H), 7.33 (d, $J = 8.4$ Hz, 1H), 7.26 (s, 1H), 7.24 – 7.19 (m, 1H), 7.02 (d, $J = 9.3$ Hz, 1H), 6.96 (t, $J = 9.2$ Hz, 1H), 1.30 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.58, 160.32 (d, $J = 239.2$

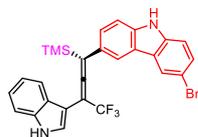
Hz), 139.24, 138.46, 136.38, 136.28, 128.90, 127.82, 127.34, 125.05, 124.18 (d, $J = 275.0$ Hz), 124.08, 123.24, 123.04, 122.36, 122.33, 120.92 (d, $J = 9.9$ Hz), 120.75, 112.53, 112.23, 110.66, 109.27, 109.08, 105.62, 97.84 (d, $J = 26.1$ Hz), 95.83 (q, $J = 34.9$ Hz), 36.21, 29.48. **^{19}F NMR** (376 MHz, CDCl_3) δ -61.98, -120.01. **HRMS** (ESI): m/z calcd. for $\text{C}_{28}\text{H}_{21}\text{N}_2\text{F}_4\text{Br}$ M: 540.0830; found: 540.0826. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $0.8\text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254\text{ nm}$): $t_{\text{major}} = 16.9\text{ min}$, $t_{\text{minor}} = 16.1\text{ min}$.

(*R*)-3-bromo-6-(6,6,6-trifluoro-5-(6-methoxy-1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3j**)



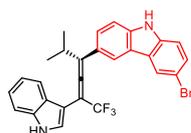
white solid, 20 h, 95% yield, 96% *ee*, $[\alpha]_D^{20} = +318.21$ ($c = 0.13$, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.19 (d, $J = 1.7$ Hz, 1H), 8.12 (s, 1H), 8.08 (s, 1H), 8.00 (s, 1H), 7.87 (d, $J = 8.6$ Hz, 1H), 7.49 (dd, $J = 8.6, 1.9$ Hz, 1H), 7.46 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.38 (d, $J = 8.4$ Hz, 1H), 7.28 (s, 1H), 7.23 (s, 1H), 6.93 – 6.85 (m, 2H), 3.87 (s, 3H), 1.33 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.57, 157.02, 139.23, 138.49, 137.20, 128.84, 127.97, 127.55, 125.15, 123.76, 123.28, 123.18 (q, $J = 274.3$ Hz), 122.35, 121.67, 121.65, 120.81, 120.79, 120.08, 112.48, 112.21, 110.40 (d, $J = 51.7$ Hz), 105.41, 96.19 (q, $J = 34.9$ Hz), 95.03, 55.83, 36.20, 29.51. **^{19}F NMR** (376 MHz, CDCl_3) δ -61.95. **HRMS** (ESI): m/z calcd. for $\text{C}_{29}\text{H}_{24}\text{N}_2\text{OF}_3\text{Br}$ M: 552.1030; found: 552.1009. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $0.8\text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254\text{ nm}$): $t_{\text{major}} = 30.6\text{ min}$, $t_{\text{minor}} = 43.4\text{ min}$.

(*S*)-3-bromo-6-(4,4,4-trifluoro-3-(1H-indol-3-yl)-1-(trimethylsilyl)buta-1,2-dien-1-yl)-9H-carbazole (**3k**)



colorless oil, 24 h, 82% yield, 67% *ee*, $[\alpha]_D^{20} = +183.68$ ($c = 0.27$, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.19 (s, 1H), 8.13 (s, 1H), 8.03 (s, 1H), 7.92 (s, 1H), 7.80 (d, $J = 8.1$ Hz, 1H), 7.53 (d, $J = 8.4$ Hz, 1H), 7.45 (d, $J = 8.6$ Hz, 1H), 7.35 (d, $J = 8.1$ Hz, 2H), 7.31 (d, $J = 8.4$ Hz, 1H), 7.25 – 7.15 (m, 2H), 7.05 (t, $J = 7.6$ Hz, 1H), 0.38 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 205.90, 139.29, 138.47, 136.35, 128.89, 127.33, 126.79, 125.64, 125.05, 124.97 (q, $J = 274.1$ Hz), 123.20, 122.92, 122.88, 122.28, 122.27, 120.49, 120.04, 119.84, 112.54, 112.28, 111.47 (d, $J = 4.0$ Hz), 110.02, 104.89, 93.81 (q, $J = 35.3$ Hz), -0.43. **^{19}F NMR** (376 MHz, CDCl_3) δ -60.97. **HRMS** (ESI): m/z calcd. for $\text{C}_{27}\text{H}_{22}\text{BrF}_3\text{N}_2\text{Si}$ $[\text{M}+\text{H}]^+$: 539.4886; found: 539.4891. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $1\text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254\text{ nm}$): $t_{\text{major}} = 15.3\text{ min}$, $t_{\text{minor}} = 12.0\text{ min}$.

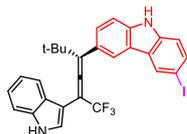
(*R*)-3-bromo-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2-methylhexa-3,4-dien-3-yl)-9H-carbazole (**3l**)



white solid, 24 h, 75% yield, 97% *ee*, $[\alpha]_D^{20} = +255.34$ ($c = 0.22$, MeOH). **^1H NMR** (400 MHz, CDCl_3) δ 8.26 (s, 1H), 8.13 (d, $J = 20.0$ Hz, 2H), 8.03 (s, 1H), 7.74 (d, $J = 8.0$ Hz, 1H), 7.59 (d, $J = 8.3$ Hz, 1H), 7.46 (d, $J = 8.2$ Hz, 1H), 7.41 (s, 1H), 7.35 (t, $J = 8.6$ Hz, 2H), 7.23 (d, $J = 4.9$ Hz, 1H), 7.18 (t, $J = 7.5$ Hz, 1H), 7.02 (t, $J = 7.5$ Hz, 1H), 3.20 (dt, $J = 13.0, 6.4$

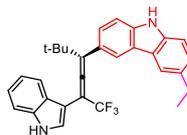
Hz, 1H), 1.27 (t, $J = 6.3$ Hz, 6H). ^{13}C NMR (101 MHz, CDCl_3) δ 203.06, 139.49, 138.40, 136.23, 128.76, 126.65, 125.58, 125.08, 123.17, 122.85, 122.81, 122.78, 122.74, 121.92, 121.05, 120.60, 120.16, 120.00, 118.47, 112.48, 112.17, 111.33, 111.21, 105.41, 29.84, 22.10, 21.74. ^{19}F NMR (376 MHz, CDCl_3) δ -61.65. HRMS (ESI): m/z calcd. for $\text{C}_{27}\text{H}_{20}\text{N}_2\text{FBr}$ $[\text{M}+\text{H}]^+$: 509.0835; found: 509.0818. HPLC analysis: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $1.0\text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254\text{ nm}$): $t_{\text{major}} = 30.2\text{ min}$, $t_{\text{minor}} = 19.7\text{ min}$.

(*R*)-3-phenyl-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3m**)



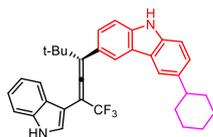
white solid, 12 h, 95% yield, 97% *ee*, $[\alpha]_D^{20} = +294.93$ ($c = 0.25$, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.32 (s, 1H), 8.14 (s, 1H), 8.00 (d, $J = 7.8$ Hz, 1H), 7.95 (s, 1H), 7.85 (s, 1H), 7.58 (d, $J = 8.5$ Hz, 1H), 7.41 (d, $J = 8.4$ Hz, 1H), 7.34 (d, $J = 8.1$ Hz, 1H), 7.29 – 7.19 (m, 4H), 7.06 (d, $J = 8.5$ Hz, 1H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, CDCl_3) δ 201.57, 138.91, 138.79, 136.29, 134.32, 129.28, 127.92, 127.50, 125.78, 125.72, 124.30 (q, $J = 271.0$ Hz), 123.80, 122.91, 122.81 (d, $J = 2.5$ Hz), 121.96, 120.70, 120.46, 120.18, 112.74, 111.54, 110.51, 105.39, 95.99 (q, $J = 34.8$ Hz), 82.22, 36.17, 29.46. ^{19}F NMR (376 MHz, CDCl_3) δ -61.88. HRMS (ESI): m/z calcd. for $\text{C}_{28}\text{H}_{22}\text{N}_2\text{F}_3$ $[\text{M}-\text{H}]^-$: 569.0707; found: 569.0730. HPLC analysis: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate $1\text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254\text{ nm}$): $t_{\text{major}} = 7.9\text{ min}$, $t_{\text{minor}} = 8.5\text{ min}$.

(*R*)-3-ethyl-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3n**)



White solid, 12 h, 84% yield, 97% *ee*, $[\alpha]_D^{20} = +164.27$ ($c = 0.19$, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.14 (s, 1H), 8.07 – 7.96 (m, 2H), 7.94 – 7.80 (m, 2H), 7.40 (d, $J = 8.1$ Hz, 1H), 7.35 – 7.15 (m, 7H), 2.90 – 2.71 (m, 2H), 1.39 – 1.25 (m, 12H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.57, 139.15, 138.23, 136.18, 135.69, 126.94, 126.66, 126.38, 125.75, 124.23 (q, $J = 280.4$ Hz), 123.87, 123.36, 123.15, 122.68, 122.61, 122.59, 120.53, 120.23, 119.11, 111.31, 110.46, 110.21, 105.55, 95.63 (q, $J = 34.8$ Hz), 36.06, 29.42, 29.00, 16.57. ^{19}F NMR (471 MHz, CDCl_3) δ -61.95. HRMS (ESI): m/z calcd. for $\text{C}_{30}\text{H}_{27}\text{N}_2\text{F}_3$ $[\text{M}-\text{H}]^-$: 471.2054; found: 471.2058. HPLC analysis: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate $1\text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254\text{ nm}$): $t_{\text{major}} = 25.2\text{ min}$, $t_{\text{minor}} = 20.8\text{ min}$.

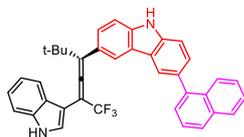
(*R*)-3-cyclohexyl-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3o**)



colorless oil, 20 h, 87% yield, 98% *ee*, $[\alpha]_D^{20} = +232.8$ ($c = 0.27$, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.07 (s, 1H), 8.04 (s, 1H), 8.00 (d, $J = 7.8$ Hz, 1H), 7.91 (s, 1H), 7.79 (s, 1H), 7.39 (d, $J = 8.3$ Hz, 1H), 7.30 (dd, $J = 14.4, 8.2$ Hz, 2H), 7.25 (s, 3H), 7.23 – 7.16 (m, 2H), 2.66 (t, $J = 11.7$ Hz, 1H), 1.97 (d, $J = 12.3$ Hz, 2H), 1.88 (d, $J = 12.5$ Hz, 2H), 1.78 (d, $J = 12.7$ Hz, 1H), 1.59 – 1.50 (m, 2H), 1.49 – 1.39 (m, 3H), 1.31 (s, 9H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.60 (d, $J = 3.7$ Hz), 139.76, 139.17, 138.34, 136.17, 126.93, 126.64, 125.77, 125.46, 124.26 (q, $J = 274.4$ Hz), 123.88, 123.26 (d, $J = 1.7$

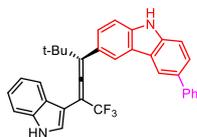
Hz), 123.17, 122.68, 122.61 (d, $J = 1.4$ Hz), 120.48, 120.24, 118.00, 111.31, 110.41, 110.21, 105.58, 95.61 (q, $J = 34.8$ Hz), 44.76, 36.07, 35.27 (d, $J = 1.7$ Hz), 29.43, 27.18, 26.32. **^{19}F NMR** (471 MHz, CDCl_3) δ -61.93. **HRMS** (ESI): m/z calcd. for $\text{C}_{34}\text{H}_{33}\text{N}_2\text{F}_3$ [M-H] $^-$: 525.2523; found: 525.2539. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min $^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 27.8$ min, $t_{\text{minor}} = 16.5$ min.

(*R*)-3-phenyl-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3p**)



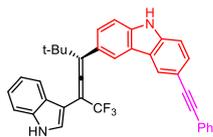
colorless oil, 18 h, 85% yield, 97% *ee*, $[\alpha]_D^{20} = +345.00$ (c = 0.18, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.19 (s, 1H), 8.07 (s, 2H), 8.01 – 7.93 (m, 3H), 7.92 (d, $J = 8.1$ Hz, 1H), 7.86 (d, $J = 7.6$ Hz, 1H), 7.56 – 7.39 (m, 7H), 7.36 (d, $J = 8.3$ Hz, 1H), 7.29 (d, $J = 7.9$ Hz, 1H), 7.24 (s, 1H), 7.21 – 7.13 (m, 2H), 1.29 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.70, 141.11, 139.36, 139.22, 136.26, 134.02, 132.43, 132.40, 128.46, 128.38, 127.55, 127.53, 127.42, 127.25, 126.55, 126.09, 125.83, 125.79, 125.57, 124.32 (q, $J = 274.3$ Hz), 123.91, 123.45, 123.31, 122.83, 122.72 (d, $J = 1.6$ Hz), 121.87, 120.75, 120.40, 120.26, 111.43, 110.54, 110.44, 105.56, 95.89 (q, $J = 34.8$ Hz), 36.18, 29.50. **^{19}F NMR** (471 MHz, CDCl_3) δ -61.91. **HRMS** (ESI): m/z calcd. for $\text{C}_{38}\text{H}_{29}\text{N}_2\text{F}_3$ [M-H] $^-$: 569.2210; found: 569.2193. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate 1 mL·min $^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 10.1$ min, $t_{\text{minor}} = 8.6$ min.

(*R*)-3-phenyl-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3q**)



colorless oil, 12 h, 98% yield, >99% *ee*, $[\alpha]_D^{20} = +351.00$ (c = 0.25, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.26 (s, 1H), 8.09 (s, 1H), 8.07 (s, 1H), 8.01 (d, $J = 7.7$ Hz, 1H), 7.87 (s, 1H), 7.69 (d, $J = 8.0$ Hz, 2H), 7.60 (d, $J = 8.4$ Hz, 1H), 7.44 (dd, $J = 17.0, 8.7$ Hz, 3H), 7.36 – 7.27 (m, 4H), 7.26 – 7.17 (m, 3H), 1.31 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.70, 142.16, 139.36, 136.28, 133.27, 128.89, 127.48, 127.18, 126.66, 125.82, 125.76, 124.34 (q, $J = 274.2$ Hz), 123.92, 123.84, 123.39, 122.84, 122.77, 122.76, 120.72, 120.40, 120.27, 118.99, 111.47, 111.01, 110.52, 105.56, 95.87 (q, $J = 34.8$ Hz), 36.19, 29.51. **^{19}F NMR** (471 MHz, CDCl_3) δ -61.89. **HRMS** (ESI): m/z calcd. for $\text{C}_{34}\text{H}_{27}\text{N}_2\text{F}_3$ [M-H] $^-$: 519.2054; found: 519.2061. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate 1 mL·min $^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 14.4$ min, $t_{\text{minor}} = 9.6$ min.

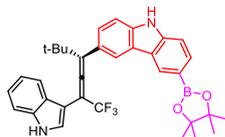
(*R*)-3-(phenylethynyl)-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3r**)



colorless oil, 24 h, 75% yield, 96% *ee*, $[\alpha]_D^{20} = +327.27$ (c = 0.11, MeOH). **^1H NMR** (500 MHz, CDCl_3) δ 8.28 (s, 1H), 8.17 (s, 1H), 8.06 (s, 1H), 8.01 (d, $J = 10.3$ Hz, 2H), 7.59 – 7.54 (m, 3H), 7.44 (d, $J = 8.3$ Hz, 1H), 7.38 – 7.28 (m, 7H), 7.23 (dt, $J = 15.0, 7.0$ Hz, 2H), 1.32 (s, 9H). **^{13}C NMR** (126 MHz, CDCl_3) δ 201.60 (d, $J = 3.7$ Hz), 139.76, 139.17, 138.34, 136.17, 126.93, 126.64, 125.77, 125.46, 124.26 (q, $J = 274.4$ Hz), 123.88, 123.26 (d, $J = 1.7$ Hz), 123.17, 122.68, 122.61

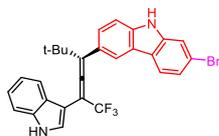
(d, $J = 1.4$ Hz), 120.48, 120.24, 118.00, 111.31, 110.41, 110.21, 105.58, 95.61 (q, $J = 34.8$ Hz), 44.76, 36.07, 35.27 (d, $J = 1.7$ Hz), 29.43, 27.18, 26.32. ^{19}F NMR (471 MHz, CDCl_3) δ -61.94. **HRMS** (ESI): m/z calcd. for $\text{C}_{36}\text{H}_{27}\text{N}_2\text{F}_3$ $[\text{M}-\text{H}]^-$: 543.2042; found: 543.2054. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate $0.8 \text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254 \text{ nm}$): $t_{\text{major}} = 8.3 \text{ min}$, $t_{\text{minor}} = 9.1 \text{ min}$.

(*R*)-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**3s**)



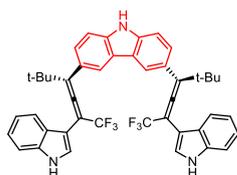
colorless oil, 16 h, 92% yield, 98% *ee*, $[\alpha]_D^{20} = +357.00$ ($c = 0.20$, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.59 (s, 1H), 8.18 (s, 1H), 8.12 (s, 1H), 8.07 (s, 1H), 8.00 (d, $J = 7.5$ Hz, 1H), 7.87 (d, $J = 8.1$ Hz, 1H), 7.41 (d, $J = 8.3$ Hz, 1H), 7.34 (t, $J = 9.7$ Hz, 3H), 7.27 (s, 1H), 7.24 – 7.18 (m, 2H), 1.43 – 1.39 (m, 12H), 1.30 (s, 9H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.59 (q, $J = 3.7$ Hz), 142.15, 138.86, 136.29, 132.66, 127.89, 127.52, 127.39, 125.82, 124.33 (q, $J = 274.3$ Hz), 123.87, 123.37, 123.11, 122.80, 122.73, 122.71, 120.85, 120.47, 120.28, 111.41, 110.39, 110.25, 105.59, 95.89 (q, $J = 34.6$ Hz), 83.85, 36.19, 29.48, 27.06, 25.08, 25.06. ^{19}F NMR (471 MHz, CDCl_3) δ -61.90. **HRMS** (ESI): m/z calcd. for $\text{C}_{34}\text{H}_{34}\text{N}_2\text{O}_2\text{BF}_3$ $[\text{M}-\text{H}]^-$: 569.2599; found: 569.2608. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate $1 \text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254 \text{ nm}$): $t_{\text{major}} = 6.3 \text{ min}$, $t_{\text{minor}} = 5.9 \text{ min}$.

(*R*)-2-bromo-6-(6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole(**3t**)



colorless oil, 14 h, 82% yield, >99% *ee*, $[\alpha]_D^{20} = +297.50$ ($c = 0.21$, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.20 (s, 1H), 8.07 – 7.91 (m, 3H), 7.90 – 7.83 (m, 1H), 7.51 – 7.40 (m, 2H), 7.37 (d, $J = 8.0$ Hz, 1H), 7.35 – 7.28 (m, 3H), 7.26 – 7.23 (m, 1H), 7.22 – 7.17 (m, 1H), 1.31 (s, 9H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.50, 140.51, 138.80, 136.20, 127.52, 125.66, 124.17 (q, $J = 274.5$ Hz), 123.70, 123.68, 122.80, 122.66, 122.62 – 122.56 (m), 122.21, 121.55, 120.57, 120.29, 120.12, 119.42, 113.65, 111.39, 110.41, 105.38, 95.86 (q, $J = 35.1$ Hz), 36.05, 29.37. ^{19}F NMR (471 MHz, CDCl_3) δ -61.96. **HRMS** (ESI): m/z calcd. for $\text{C}_{28}\text{H}_{22}\text{N}_2\text{F}_3$ $[\text{M}+\text{H}]^+$: 523.0991; found: 523.1003. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 92/8, flow rate $1 \text{ mL}\cdot\text{min}^{-1}$, $\lambda = 254 \text{ nm}$): $t_{\text{major}} = 59.8 \text{ min}$, $t_{\text{minor}} = 55.8 \text{ min}$.

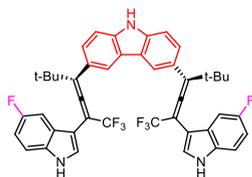
3,6-bis((*R*)-6,6,6-trifluoro-5-(1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole (**4a**)



white foam, 30 h, 87% yield, >95:5 *dr*, >99% *ee*, $[\alpha]_D^{20} = +291.83$ ($c = 0.36$, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.10 (s, 2H), 8.05 (s, 2H), 8.02 – 7.97 (m, 2H), 7.89 (s, 1H), 7.41 (dd, $J = 8.3, 1.6$ Hz, 2H), 7.34 – 7.28 (m, 4H), 7.26 (s, 2H), 7.25 – 7.23 (m, 1H), 7.22 – 7.17 (m, 2H), 7.17 – 7.12 (m, 1H), 1.31 (s, 18H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.59, 139.10, 136.15, 127.37, 127.10, 125.74, 125.72, 124.22 (q, $J = 274.3$ Hz), 123.78, 123.74, 123.06, 122.75, 122.64, 122.62, 120.60,

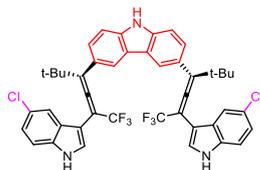
120.56, 120.26, 120.24, 120.19, 111.31, 110.36, 105.52, 95.71 (q, $J = 34.9$ Hz), 95.65 (q, $J = 34.8$ Hz), 36.08, 29.42. ^{19}F NMR (471 MHz, CDCl_3) δ -61.96. HRMS (ESI): m/z calcd. for $\text{C}_{44}\text{H}_{37}\text{F}_6\text{N}_3$ [M-H] $^-$: 720.2959; found: 720.2968. HPLC analysis: The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 85/15, flow rate 1 mL·min $^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 7.5$ min, $t_{\text{minor}} = 6.2$ min.

3,6-bis((*R*)-6,6,6-trifluoro-5-(5-fluoro-1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole(**4b**)



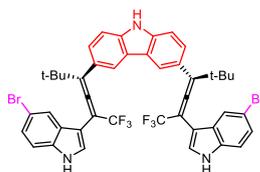
White solid, 32 h, 94% yield, >95:5 *dr*, >99% *ee*, $[\alpha]_D^{20} = +344.14$ (c = 0.37, MeOH). ^1H NMR (400 MHz, CDCl_3) δ 8.13 (s, 2H), 8.09 (d, $J = 4.5$ Hz, 2H), 7.99 (s, 1H), 7.66 (d, $J = 10.1$ Hz, 2H), 7.42 (d, $J = 9.5$ Hz, 2H), 7.35 (d, $J = 8.3$ Hz, 2H), 7.29 (s, 2H), 7.24 – 7.18 (m, 2H), 6.95 (t, $J = 8.9$ Hz, 2H), 1.31 (s, 18H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.29 (d, $J = 3.8$ Hz), 158.17 (d, $J = 235.2$ Hz), 139.15, 132.60, 127.27 (d, $J = 5.0$ Hz), 126.99, 126.16, 126.08, 124.22, 124.19, 124.16 (d, $J = 2.6$ Hz), 124.07 (q, $J = 274.3$ Hz), 123.10, 120.63 (d, $J = 3.8$ Hz), 111.85 (d, $J = 9.7$ Hz), 111.22 (d, $J = 4.2$ Hz), 111.01 (d, $J = 4.3$ Hz), 110.43, 105.66, 105.62, 105.44, 105.25, 95.45 (q, $J = 35.4$ Hz), 36.14, 29.36. ^{19}F NMR (376 MHz, CDCl_3) δ -62.09, -123.27. HRMS (ESI): m/z calcd. for $\text{C}_{44}\text{H}_{35}\text{N}_3\text{F}_8$ [M-H] $^-$: 756.2630; found: 756.2631. HPLC analysis: The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min $^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 30.4$ min, $t_{\text{minor}} = 50.0$ min.

3,6-bis((*R*)-5-(5-chloro-1H-indol-3-yl)-6,6,6-trifluoro-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole(**4c**)



colorless oil, 32 h, 90% yield, >95:5 *dr*, >99% *ee*, $[\alpha]_D^{20} = +134.16$ (c = 0.32, MeOH). ^1H NMR (400 MHz, CDCl_3) δ 8.19 (s, 1H), 8.14 (d, $J = 8.2$ Hz, 3H), 8.06 (d, $J = 5.4$ Hz, 2H), 7.99 (d, $J = 5.6$ Hz, 1H), 7.42 (t, $J = 9.8$ Hz, 2H), 7.39 – 7.32 (m, 2H), 7.26 (s, 2H), 7.22 – 7.17 (m, 2H), 7.16 – 7.03 (m, 2H), 1.30 (s, 18H). ^{13}C NMR (126 MHz, CDCl_3) δ 201.27, 139.18, 134.43, 127.60, 127.33, 126.95, 126.71, 126.15, 126.11, 124.24, 124.00 (q, $J = 274.5$ Hz), 123.66, 123.59, 122.96, 122.95, 120.65, 120.37, 119.83, 112.17, 110.52, 110.47, 105.18, 95.33 (q, $J = 35.2$ Hz), 36.24, 29.27. ^{19}F NMR (471 MHz, CDCl_3) δ -62.17. HRMS (ESI): m/z calcd. for $\text{C}_{44}\text{H}_{35}\text{N}_3\text{F}_6\text{Cl}_2$ [M-H] $^-$: 788.2039; found: 788.2043. HPLC analysis: The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min $^{-1}$, $\lambda = 254$ nm): $t_{\text{major}} = 13.4$ min, $t_{\text{minor}} = 16.6$ min.

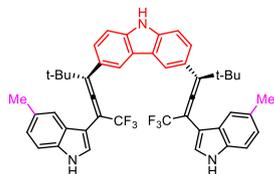
3,6-bis((*R*)-5-(5-bromo-1H-indol-3-yl)-6,6,6-trifluoro-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole(**4d**)



white foam, 48 h, 95% yield, 94:6 *dr*, >99% *ee*, $[\alpha]_D^{20} = +103.98$ (c = 0.41, MeOH). ^1H NMR (500 MHz, CDCl_3) δ 8.32 – 8.21 (m, 3H), 8.21 – 8.15 (m, 3H), 8.06 (s, 1H), 7.47 – 7.38 (m, 4H), 7.32 – 7.25 (m, 4H), 7.19 (dd, $J = 8.6, 3.5$ Hz, 2H),

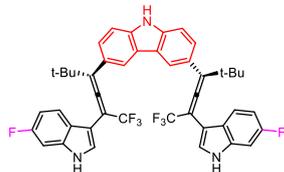
1.31 (s, 18H). ¹³C NMR (126 MHz, CDCl₃) δ 201.24, 139.18, 134.72, 127.69, 127.34, 126.92, 125.48, 124.32, 124.26, 123.97 (q, *J* = 274.0 Hz), 123.43, 123.37, 123.18, 122.95, 120.73, 120.38, 113.66, 113.62, 112.59, 110.53, 110.47, 105.06, 95.32 (q, *J* = 35.4 Hz), 36.28, 29.27. ¹⁹F NMR (471 MHz, CDCl₃) δ -62.20. **HRMS** (ESI): *m/z* calcd. for C₄₄H₃₅N₃F₆Br₂ [M-H]⁻: 876.1029; found: 876.1051. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min⁻¹, λ = 254 nm): *t*_{major} = 13.0 min, *t*_{minor} = 14.9 min.

3,6-bis((*R*)-6,6,6-trifluoro-2,2-dimethyl-5-(5-methyl-1H-indol-3-yl)hexa-3,4-dien-3-yl)-9H-carbazole(**4e**)



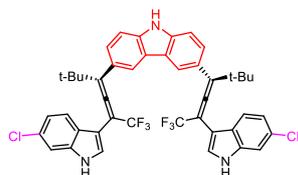
colorless oil, 28 h, 90% yield, >95:5 *dr*, >99% *ee*, [*a*]_D²⁰ = +157.22 (c = 0.36, MeOH). ¹H NMR (500 MHz, CDCl₃) δ 8.09 (d, *J* = 11.9 Hz, 2H), 8.00 (s, 2H), 7.87 (s, 1H), 7.82 (s, 2H), 7.40 (t, *J* = 7.0 Hz, 2H), 7.28 (d, *J* = 8.3 Hz, 2H), 7.22 – 7.16 (m, 4H), 7.01 (t, *J* = 7.7 Hz, 2H), 2.46 (s, 3H), 2.42 (s, 3H), 1.29 (s, 18H). ¹³C NMR (126 MHz, CDCl₃) δ 201.51, 139.11, 134.44, 129.53, 127.66, 127.39, 127.28, 125.95, 124.23, 124.22 (q, *J* = 274.2 Hz), 123.54, 123.04, 123.00, 122.68, 120.47, 120.18, 120.00, 119.98, 110.91, 110.47, 110.38, 104.82, 95.84 (q, *J* = 34.8 Hz), 36.14, 29.34, 21.54, 21.48. ¹⁹F NMR (471 MHz, CDCl₃) δ -62.02. **HRMS** (ESI): *m/z* calcd. for C₄₆H₄₁N₃F₆ [M-H]⁻: 748.3132; found: 748.3151. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak AD-H~IA column (hexane/*i*-PrOH = 85/15, flow rate 0.7 mL·min⁻¹, λ = 254 nm): *t*_{major} = 19.1 min, *t*_{minor} = 20.9 min.

3,6-bis((*R*)-6,6,6-trifluoro-5-(6-fluoro-1H-indol-3-yl)-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole(**4f**)



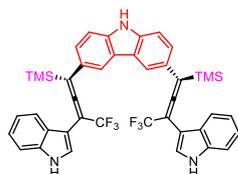
colorless oil, 52 h, 83% yield, >95:5 *dr*, >99% *ee*, [*a*]_D²⁰ = +429.85 (c = 0.23, MeOH). ¹H NMR (500 MHz, CDCl₃) δ 8.14 (d, *J* = 3.5 Hz, 2H), 8.05 – 7.99 (m, 3H), 7.89 – 7.84 (m, 2H), 7.42 (d, *J* = 8.3 Hz, 2H), 7.36 (d, *J* = 8.3 Hz, 2H), 7.25 (s, 2H), 7.04 – 6.99 (m, 2H), 6.95 – 6.87 (m, 2H), 1.31 (s, 18H). ¹³C NMR (126 MHz, CDCl₃) δ 201.60, 161.17, 159.27, 139.15, 136.20 (d, *J* = 12.5 Hz), 136.17 (d, *J* = 12.4 Hz), 127.25, 127.03, 124.09 (q, *J* = 275.9 Hz), 124.05 (d, *J* = 2.4 Hz), 123.08, 122.89, 122.30, 120.95, 120.87, 120.56, 110.44, 108.94 (d, *J* = 24.1 Hz), 108.92 (d, *J* = 24.4 Hz), 105.69, 97.75 (d, *J* = 5.3 Hz), 97.54 (d, *J* = 5.4 Hz), 95.51 (q, *J* = 34.7 Hz), 36.09, 29.43. ¹⁹F NMR (471 MHz, CDCl₃) δ -62.05, -120.18. **HRMS** (ESI): *m/z* calcd. for C₄₄H₃₅N₃F₈ [M-H]⁻: 756.2630; found: 756.2639. **HPLC analysis**: The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min⁻¹, λ = 254 nm): *t*_{major} = 15.1 min, *t*_{minor} = 19.5 min.

3,6-bis((*R*)-5-(6-chloro-1H-indol-3-yl)-6,6,6-trifluoro-2,2-dimethylhexa-3,4-dien-3-yl)-9H-carbazole(**4g**)



colorless oil, 48 h, 86% yield, 94:6 *dr*, >99% *ee*, $[\alpha]_D^{20} = +485.88$ ($c = 0.34$, MeOH). **¹H NMR** (500 MHz, CDCl₃) δ 8.14 (d, $J = 4.2$ Hz, 2H), 8.05 – 7.97 (m, 3H), 7.89 – 7.81 (m, 2H), 7.41 (d, $J = 8.3$ Hz, 2H), 7.36 (d, $J = 8.3$ Hz, 2H), 7.32 (dd, $J = 5.9, 1.7$ Hz, 2H), 7.26 (s, 2H), 7.16 – 7.09 (m, 2H), 1.31 (s, 18H). **¹³C NMR** (126 MHz, CDCl₃) δ 201.68, 139.16, 136.52, 136.50, 128.75, 128.73, 127.26, 127.22, 126.97, 124.34, 124.12 (d, $J = 3.5$ Hz), 124.05 (q, $J = 274.6$ Hz), 123.15, 123.09, 120.97, 120.95, 120.59, 120.54, 111.26, 111.22, 110.46, 105.82, 95.37 (q, $J = 39.8$ Hz), 36.10, 29.42. **¹⁹F NMR** (471 MHz, CDCl₃) δ -62.06. **HRMS** (ESI): m/z calcd. for C₄₄H₃₅N₃F₆Cl₂ [M-H]: 788.2039; found: 788.2063. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 94/6, flow rate 1 mL·min⁻¹, $\lambda = 254$ nm): $t_{\text{major}} = 68.8$ min, $t_{\text{minor}} = 79.2$ min.

3,6-bis((*S*)-4,4,4-trifluoro-3-(1H-indol-3-yl)-1-(trimethylsilyl)buta-1,2-dien-1-yl)-9H-carbazole (**4h**)



colorless oil, 72 h, 82% yield, 4:1 *dr*, >99% *ee*, $[\alpha]_D^{20} = +327.19$ ($c = 0.36$, MeOH). **¹H NMR** (500 MHz, CDCl₃) δ 8.19 (s, 2H), 8.07 (s, 2H), 7.99 (d, $J = 7.4$ Hz, 1H), 7.81 (d, $J = 8.0$ Hz, 2H), 7.52 (d, $J = 8.4$ Hz, 2H), 7.34 (d, $J = 8.7$ Hz, 6H), 7.19 (t, $J = 7.6$ Hz, 2H), 7.05 (t, $J = 8.4$ Hz, 2H), 0.37 (s, 18H). **¹³C NMR** (126 MHz, CDCl₃) δ 206.38, 139.74, 136.79, 127.27, 127.05, 126.17, 125.46 (q, $J = 274.0$ Hz), 124.20, 123.28, 122.68, 120.90, 120.59, 120.21, 111.87, 111.84, 110.54, 105.53, 94.02 (q, $J = 34.7$ Hz), 0.00. **¹⁹F NMR** (471 MHz, CDCl₃) δ -61.07. **HRMS** (ESI): m/z calcd. for C₄₂H₃₇N₃F₆Si₂ [M-H]: 752.2357; found: 752.2385. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 85/15, flow rate 1 mL·min⁻¹, $\lambda = 254$ nm): $t_{\text{major}} = 10.1$ min, $t_{\text{minor}} = 8.6$ min.

4. Data for X-Ray Crystal Structures of 3n and 4b

Table S3 Crystal data and structure refinement for **3n**.

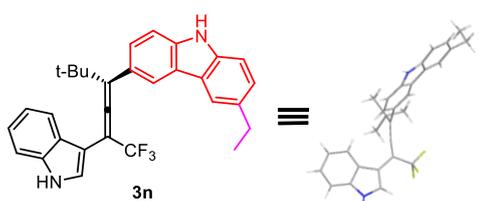
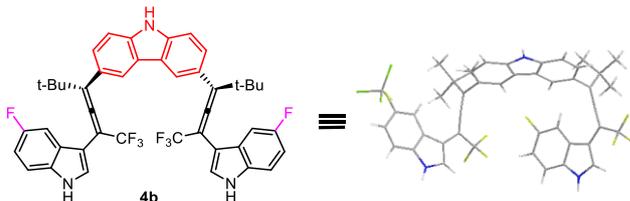
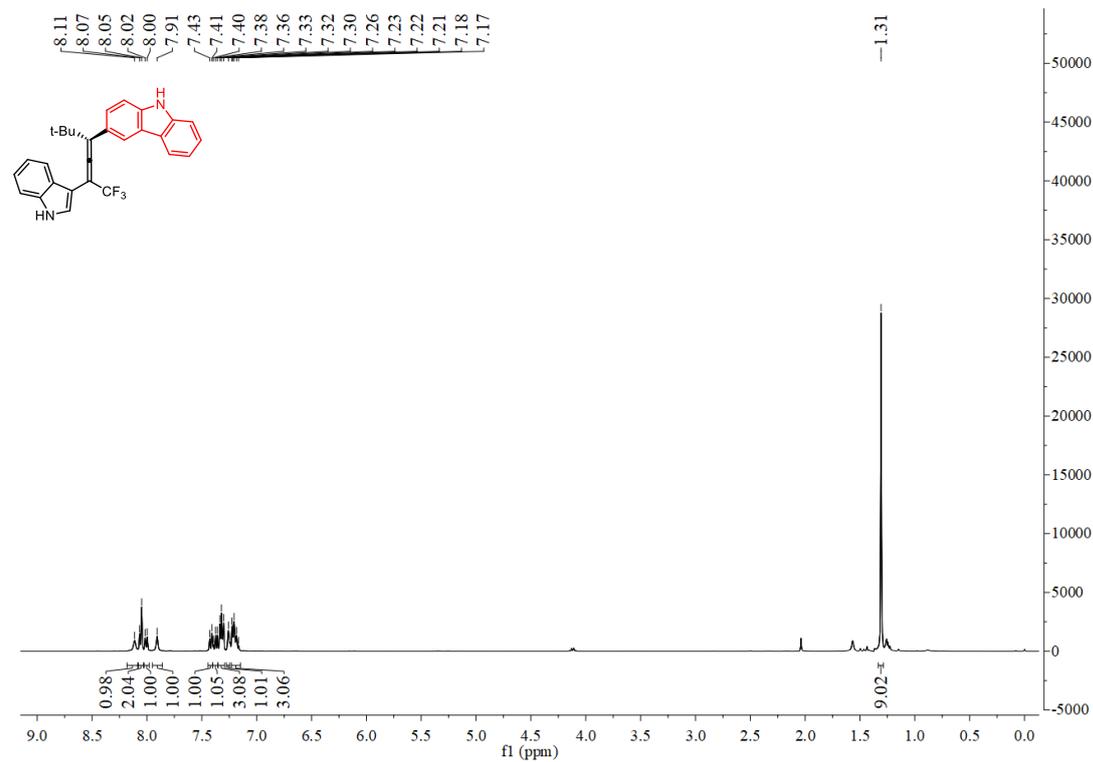
Compound	
Empirical formula	C ₃₀ H ₂₇ F ₃ N ₂
Formula weight	472.53
Temperature/K	99.99(10)
Crystal system	orthorhombic
Space group	P2 ₁ 2 ₁ 2 ₁
a/Å	7.62710(10)
b/Å	7.65910(10)
c/Å	41.8071(6)
α/°	90
β/°	90
γ/°	90
Volume/Å ³	2442.23(6)
Z	4
ρ _{calc} /mg/mm ³	1.285
μ/mm ⁻¹	0.746
F(000)	992.0
Crystal size/mm ³	0.35 × 0.35 × 0.1
2θ range for data collection	4.228 to 159.262°
Index ranges	-9 ≤ h ≤ 8, -9 ≤ k ≤ 9, -51 ≤ l ≤ 52
Reflections collected	25028
Independent reflections	5054[R(int) = 0.0516]
Data/restraints/parameters	5054/0/320
Goodness-of-fit on F ²	1.081
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0384, wR ₂ = 0.0928
Final R indexes [all data]	R ₁ = 0.0404, wR ₂ = 0.0940
Largest diff. peak/hole / e Å ⁻³	0.20/-0.20
Flack parameter	-0.02(6)

Table S4 Crystal data and structure refinement for **4b**.

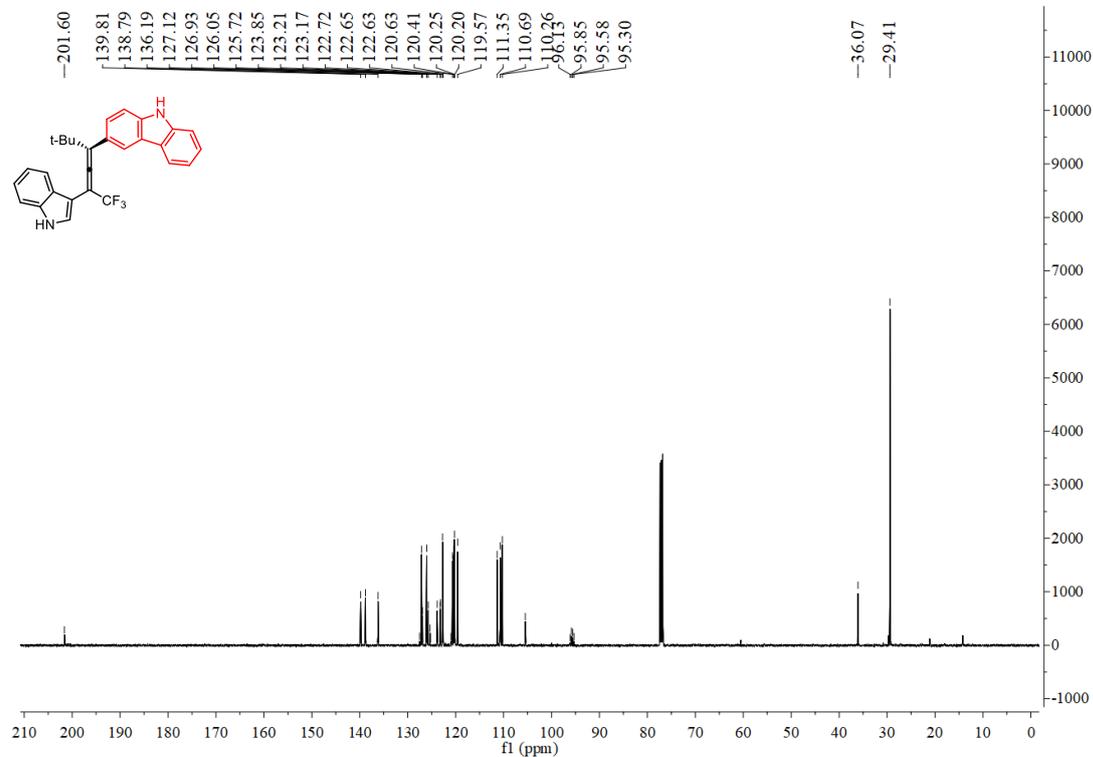
Compound	
Empirical formula	C ₄₅ H ₃₆ Cl ₃ F ₈ N ₃
Formula weight	877.12
Temperature/K	100.00(10)
Crystal system	monoclinic
Space group	P21
a/Å	10.16650(10)
b/Å	12.6248(2)
c/Å	15.8394(2)
α /°	90
β /°	91.1300(10)
γ /°	90
Volume/Å ³	2032.59(5)
Z	2
ρ_{calc} /cm ³	1.433
μ /mm ⁻¹	2.685
F(000)	900.0
Crystal size/mm ³	0.2 × 0.15 × 0.1
Radiation	Cu K α (λ = 1.54184)
2 θ range for data collection/°	5.58 to 158.572
Index ranges	-12 ≤ h ≤ 12, -16 ≤ k ≤ 15, -20 ≤ l ≤ 18
Reflections collected	40026
Independent reflections	8140 [R _{int} = 0.1102, R _{sigma} = 0.0608]
Data/restraints/parameters	8140/1/542
Goodness-of-fit on F ²	1.099
Final R indexes [$I \geq 2\sigma(I)$]	R ₁ = 0.0438, wR ₂ = 0.1159
Final R indexes [all data]	R ₁ = 0.0464, wR ₂ = 0.1176
Largest diff. peak/hole / e Å ⁻³	0.33/-0.41
Flack parameter	0.007(9)

5. Copies of NMR Spectra

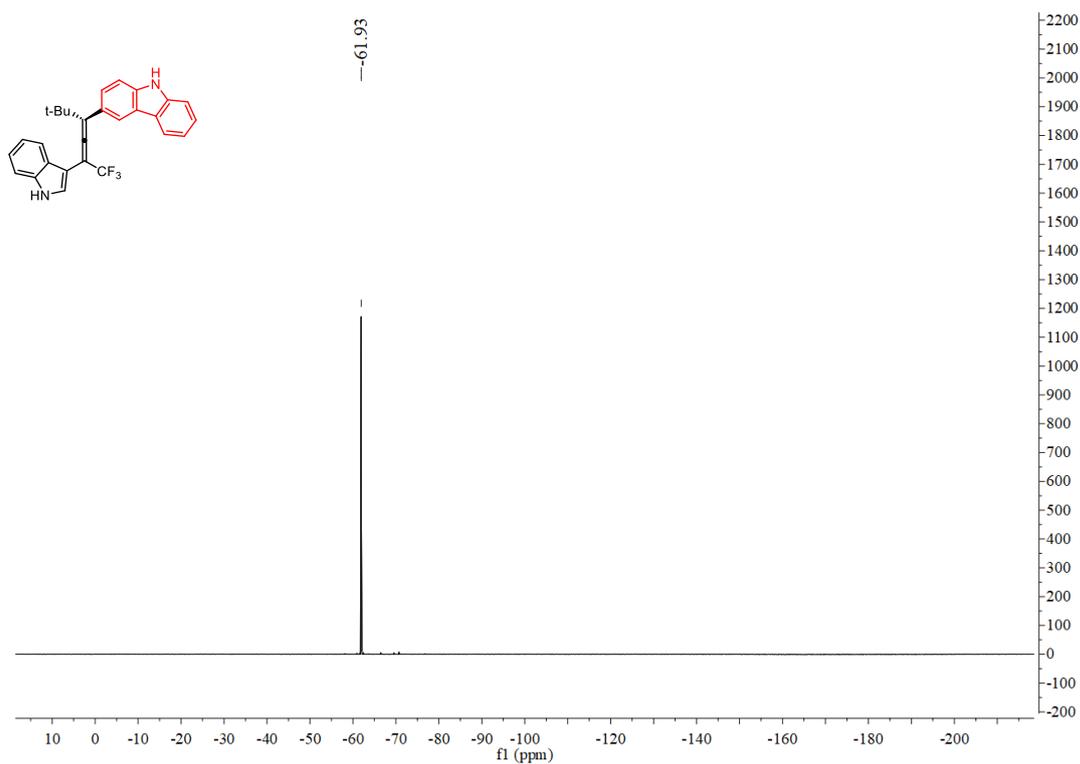
¹H NMR of compound 3a (in CDCl₃)



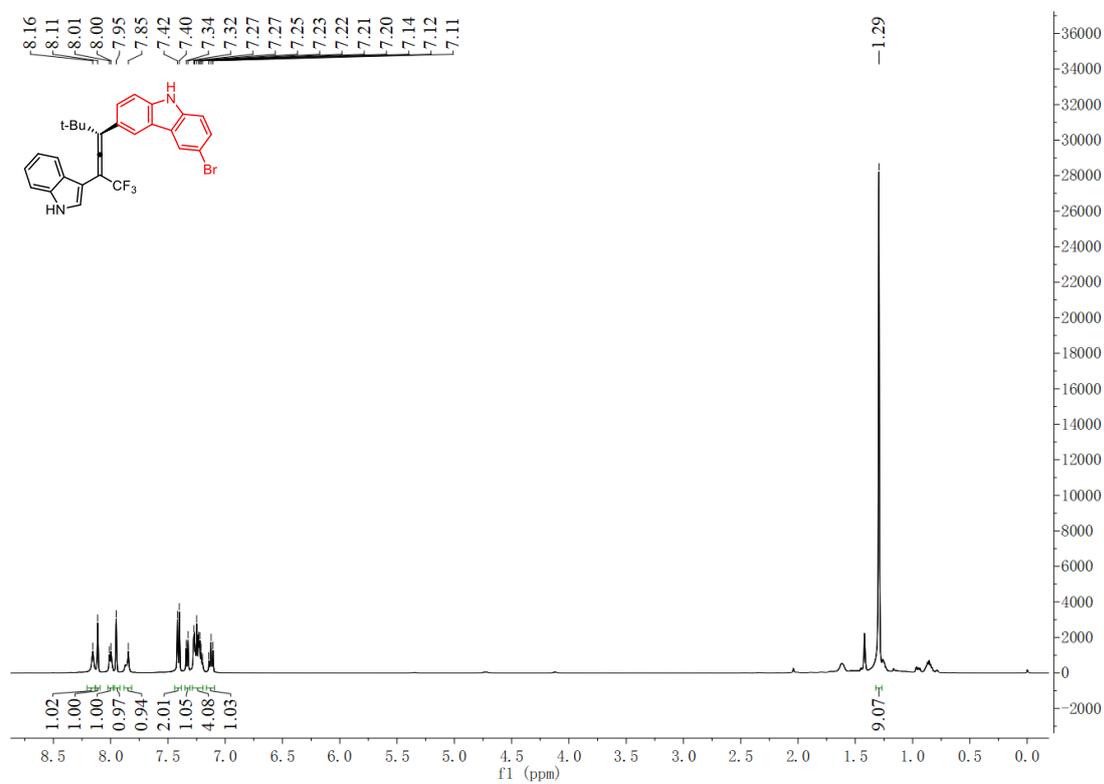
¹³C NMR of compound 3a (in CDCl₃)



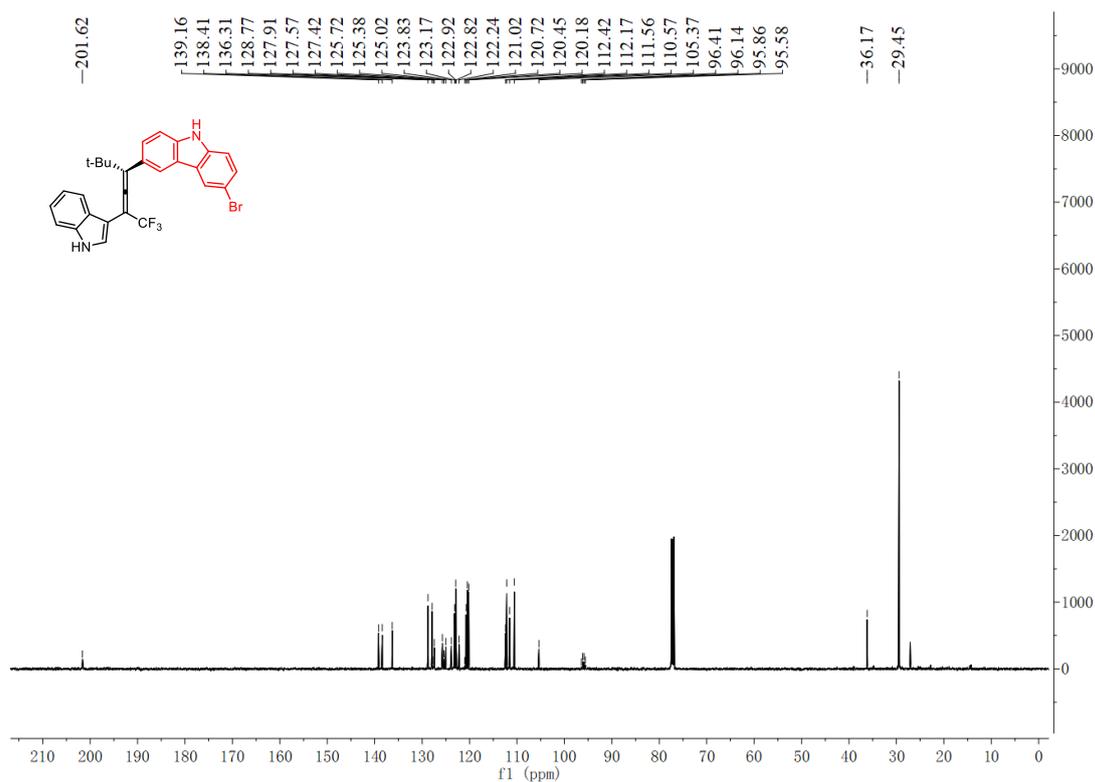
^{19}F NMR of compound 3a (in CDCl_3)



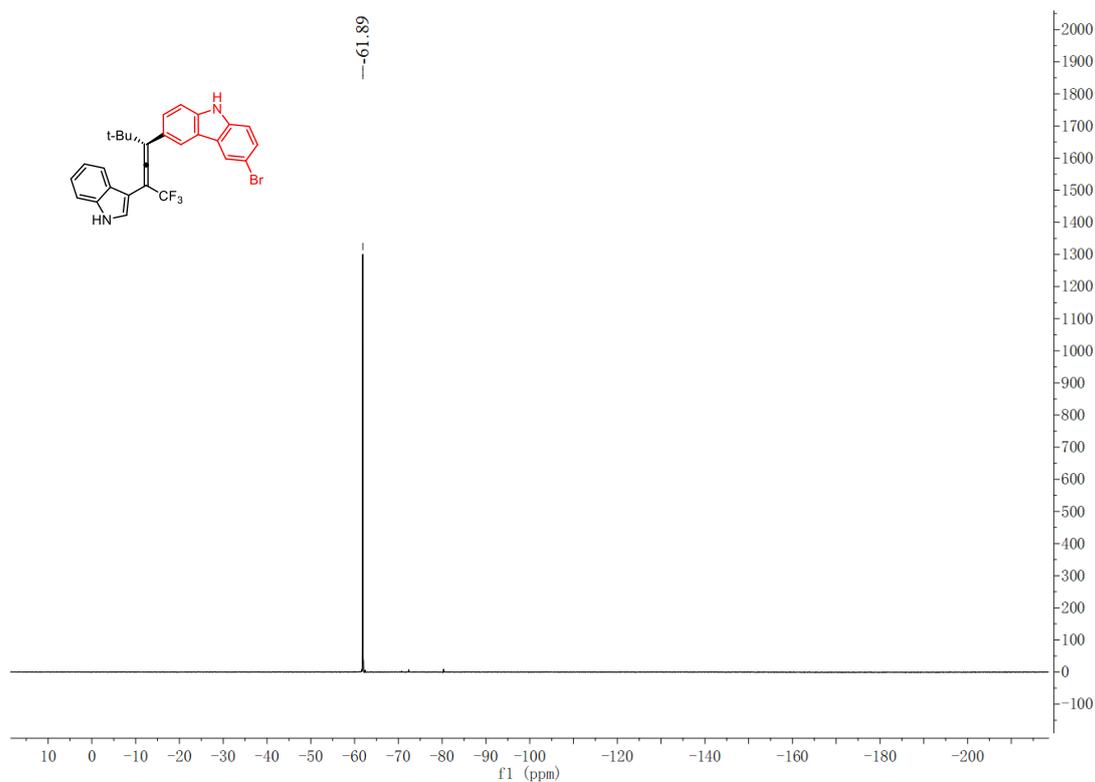
^1H NMR of compound 3b (in CDCl_3)



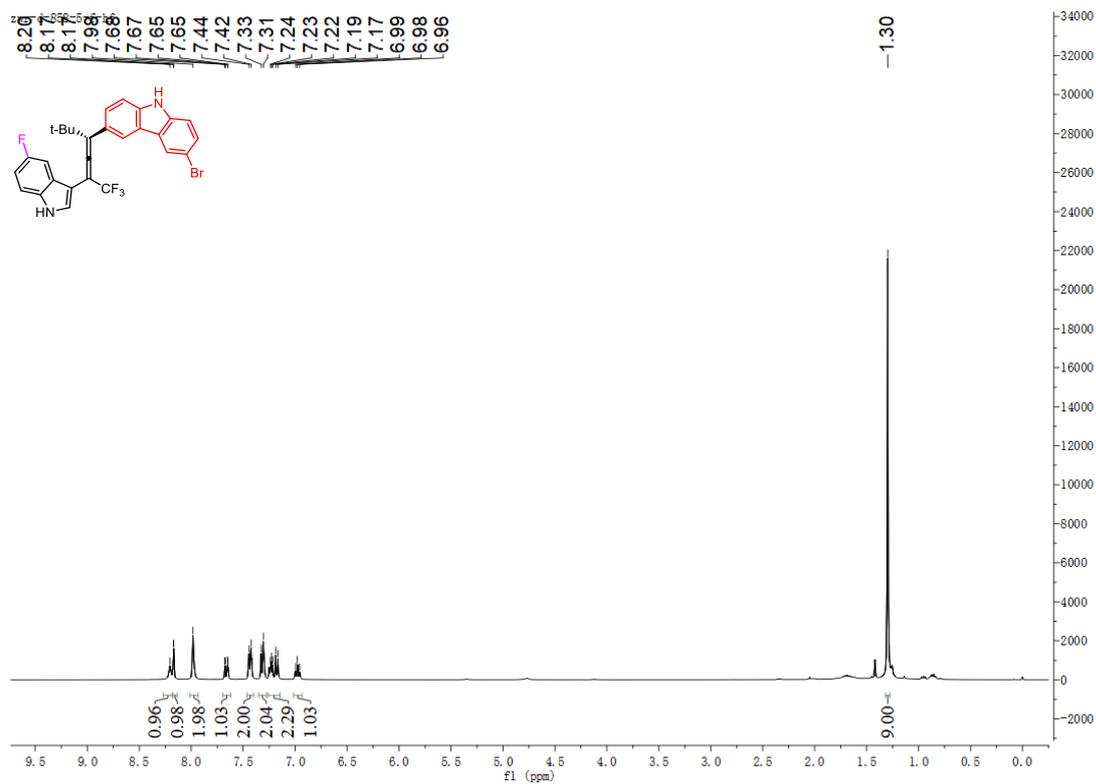
¹³C NMR of compound 3b (in CDCl₃)



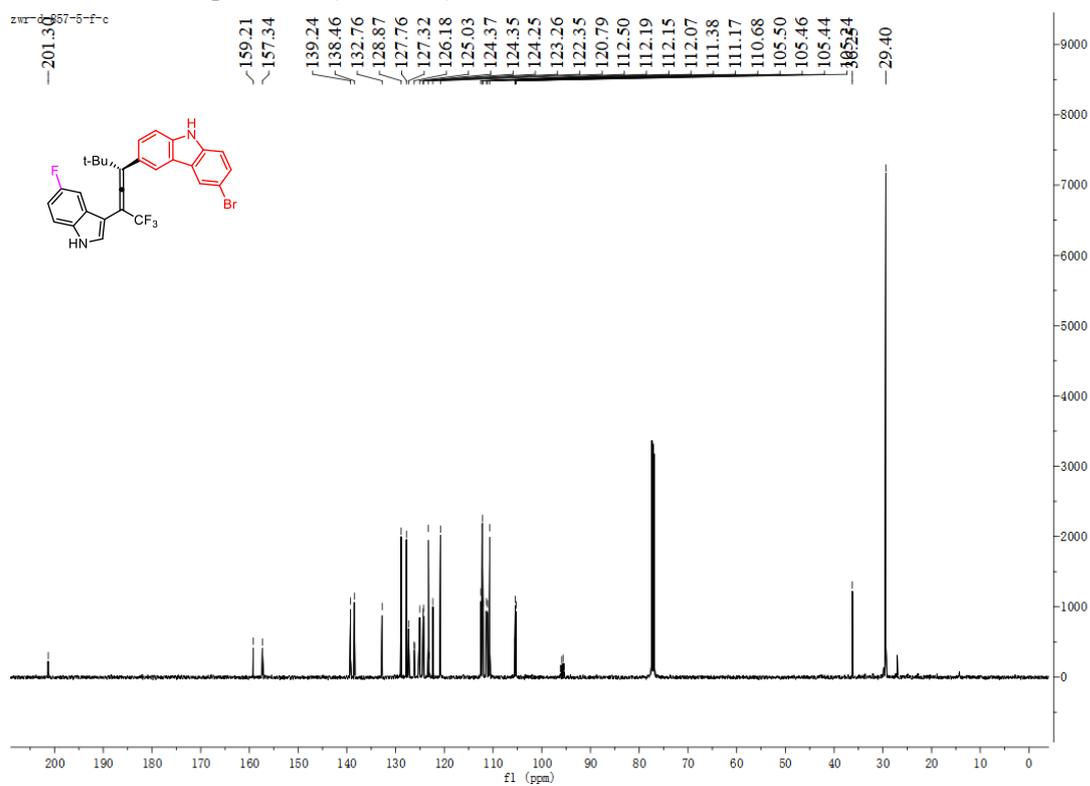
¹⁹F NMR of compound 3b (in CDCl₃)



¹H NMR of compound 3c (in CDCl₃)

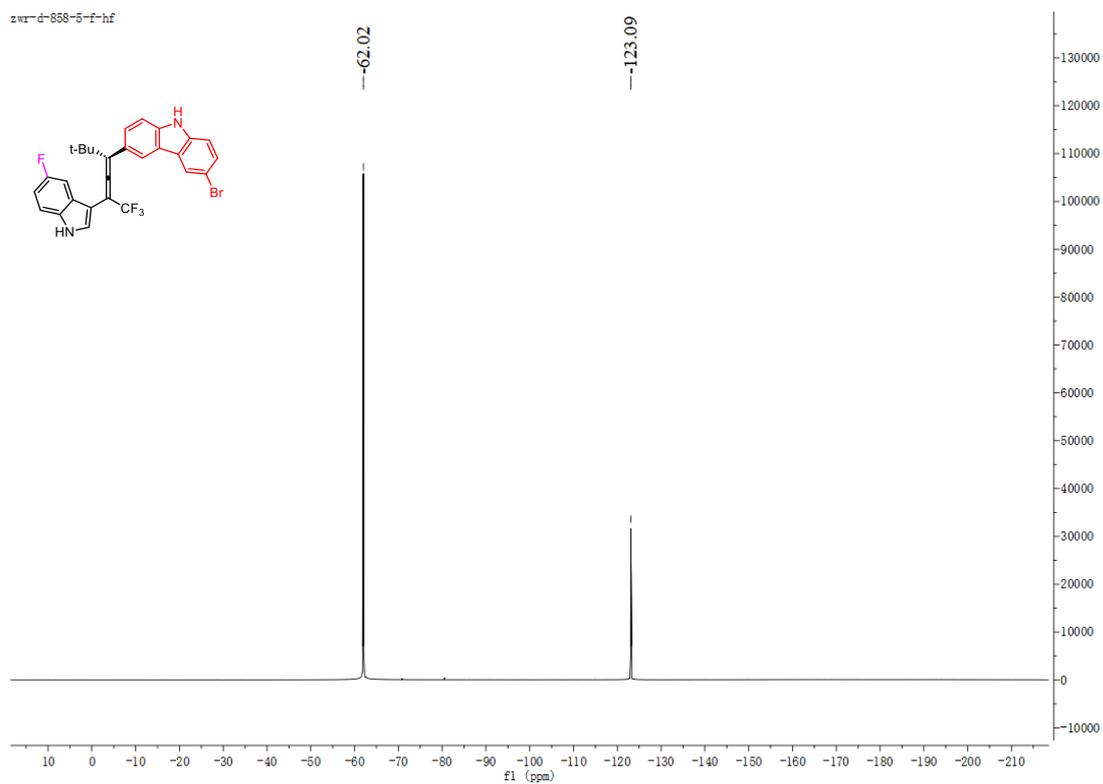


¹³C NMR of compound 3c (in CDCl₃)



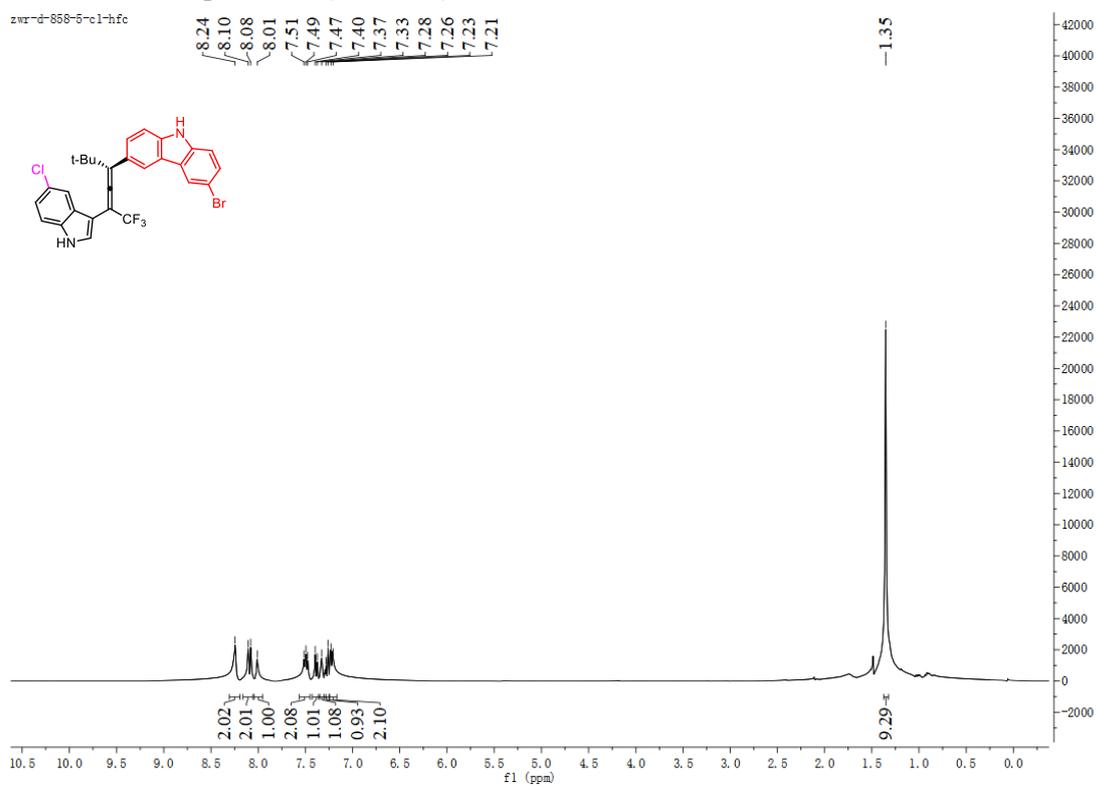
^{19}F NMR of compound 3c (in CDCl_3)

zwr-d-888-5-f-hf

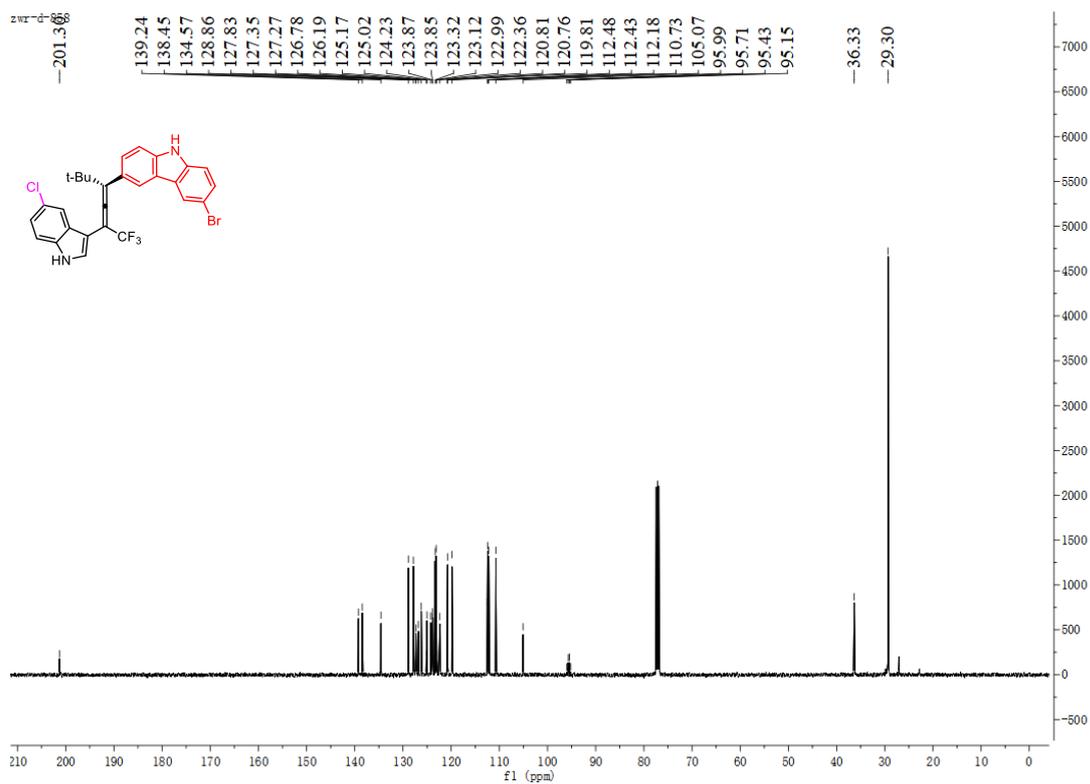


^1H NMR of compound 3d (in CDCl_3)

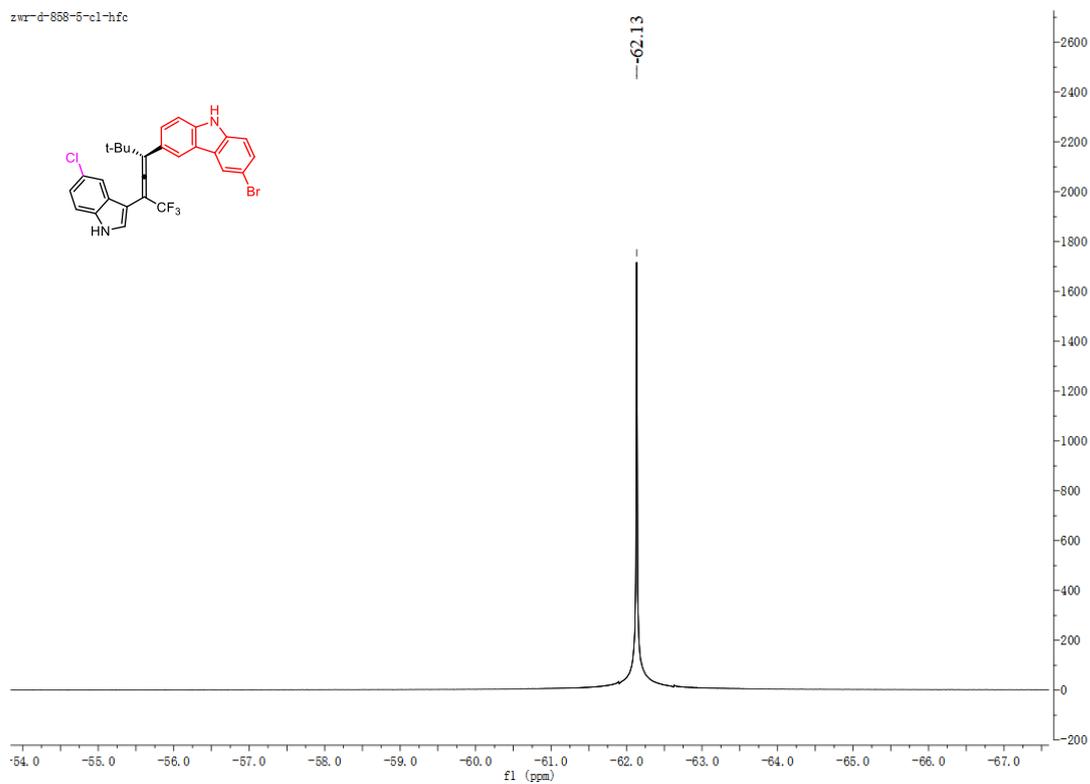
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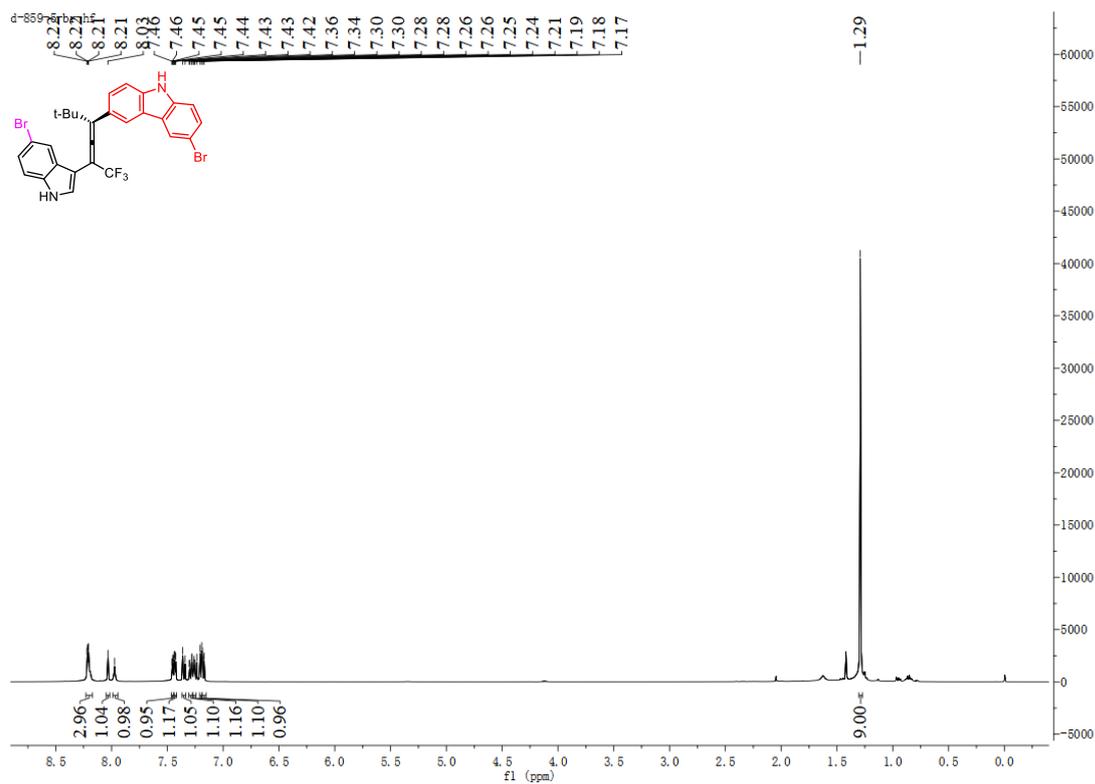
¹³C NMR of compound 3d (in CDCl₃)



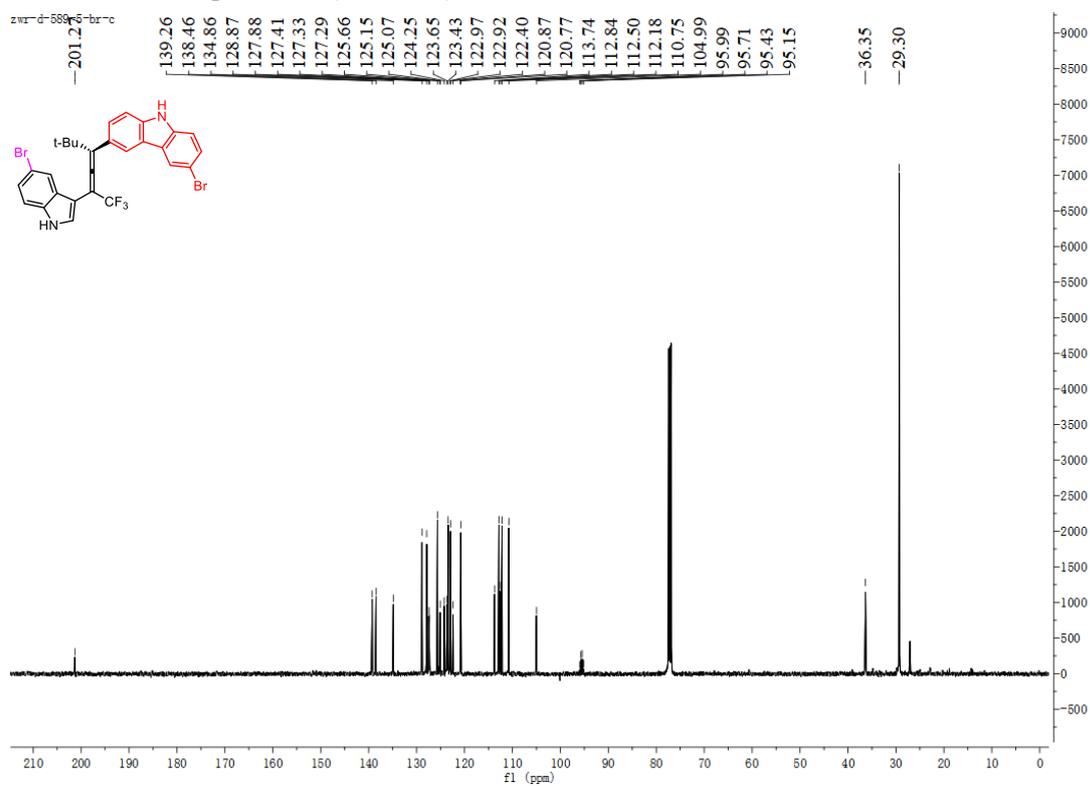
¹⁹F NMR of compound 3d (in CDCl₃)



¹H NMR of compound 3e (in CDCl₃)

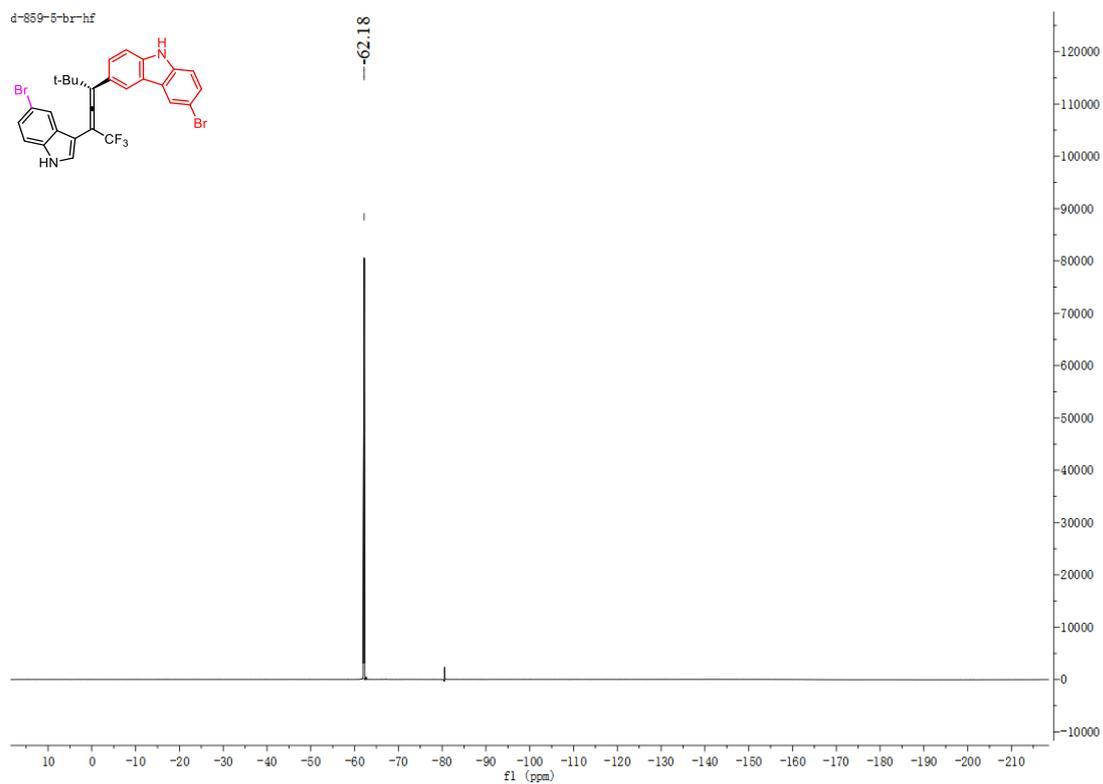


¹³C NMR of compound 3e (in CDCl₃)

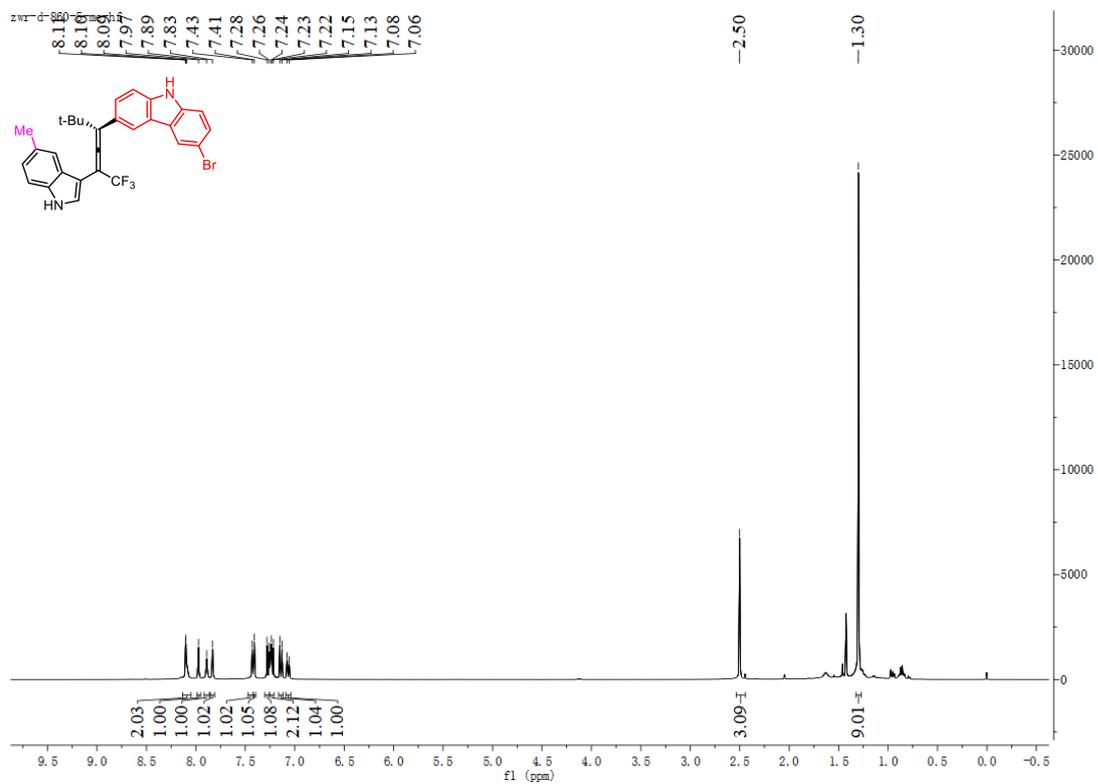


^{19}F NMR of compound 3e (in CDCl_3)

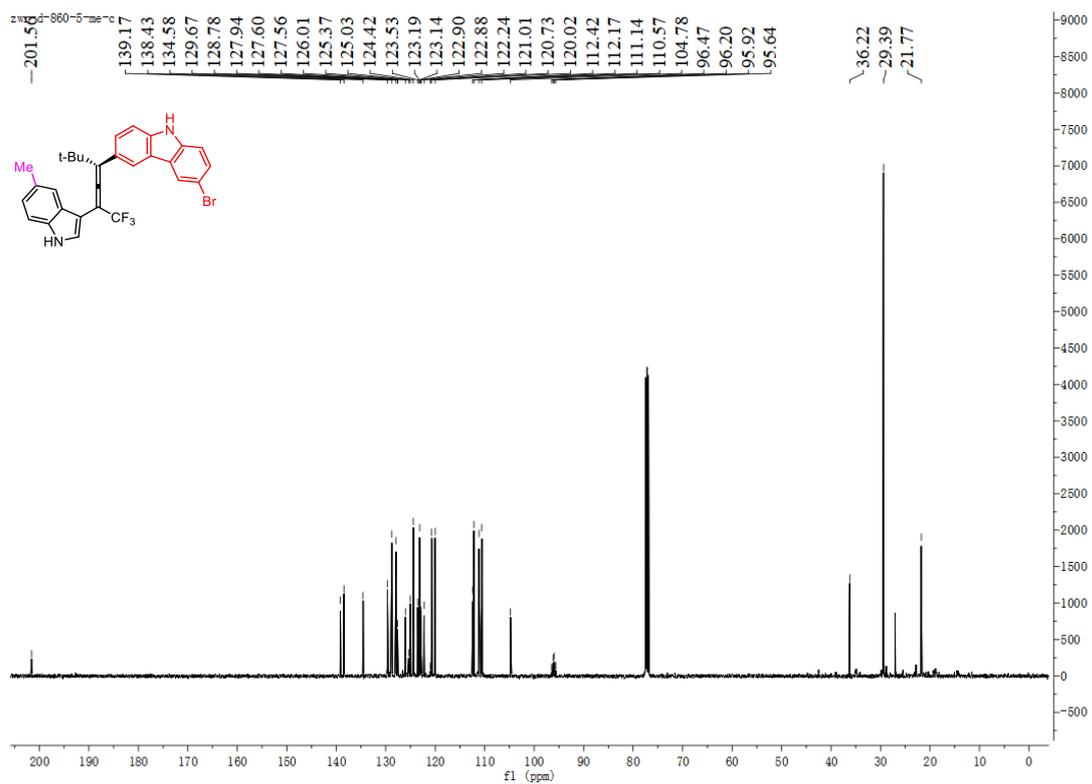
d-859-5-br-hf



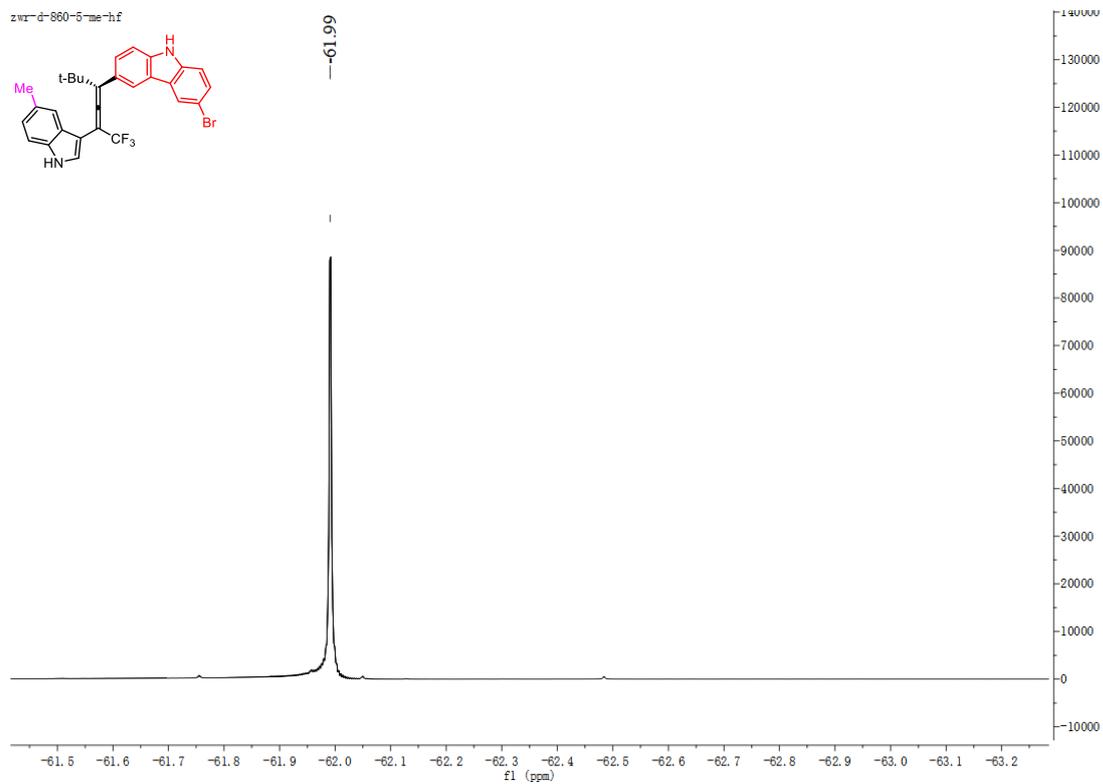
^1H NMR of compound 3f (in CDCl_3)



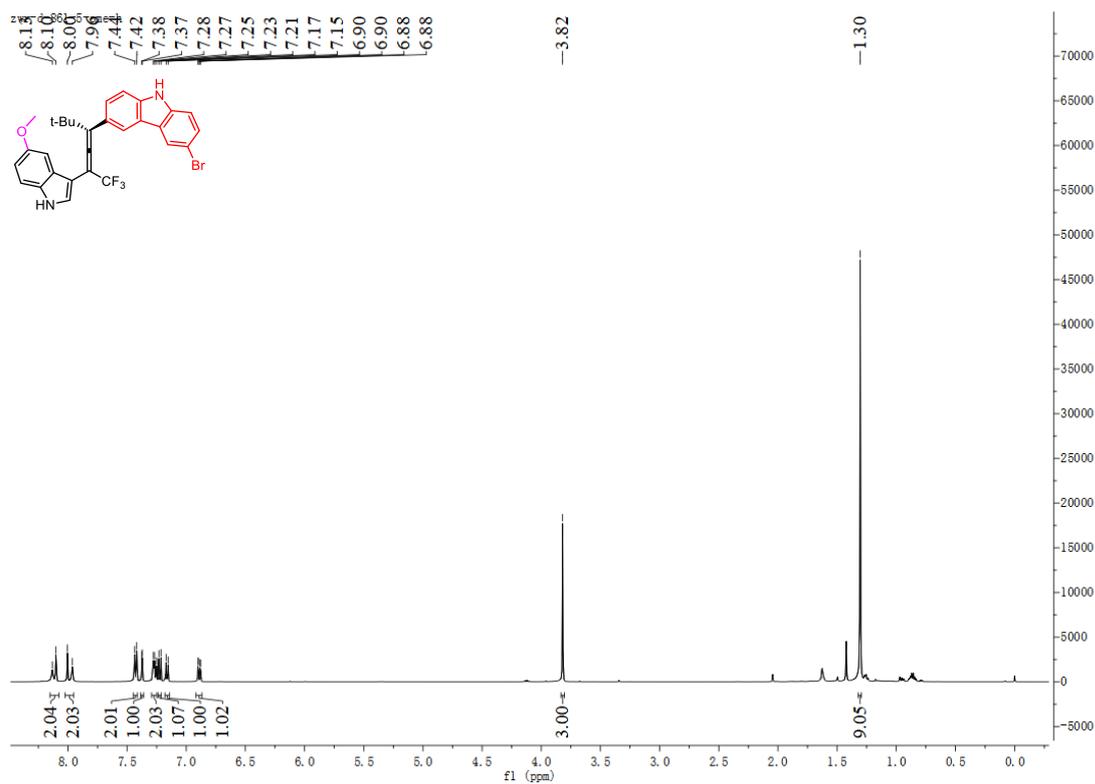
¹³C NMR of compound 3f (in CDCl₃)



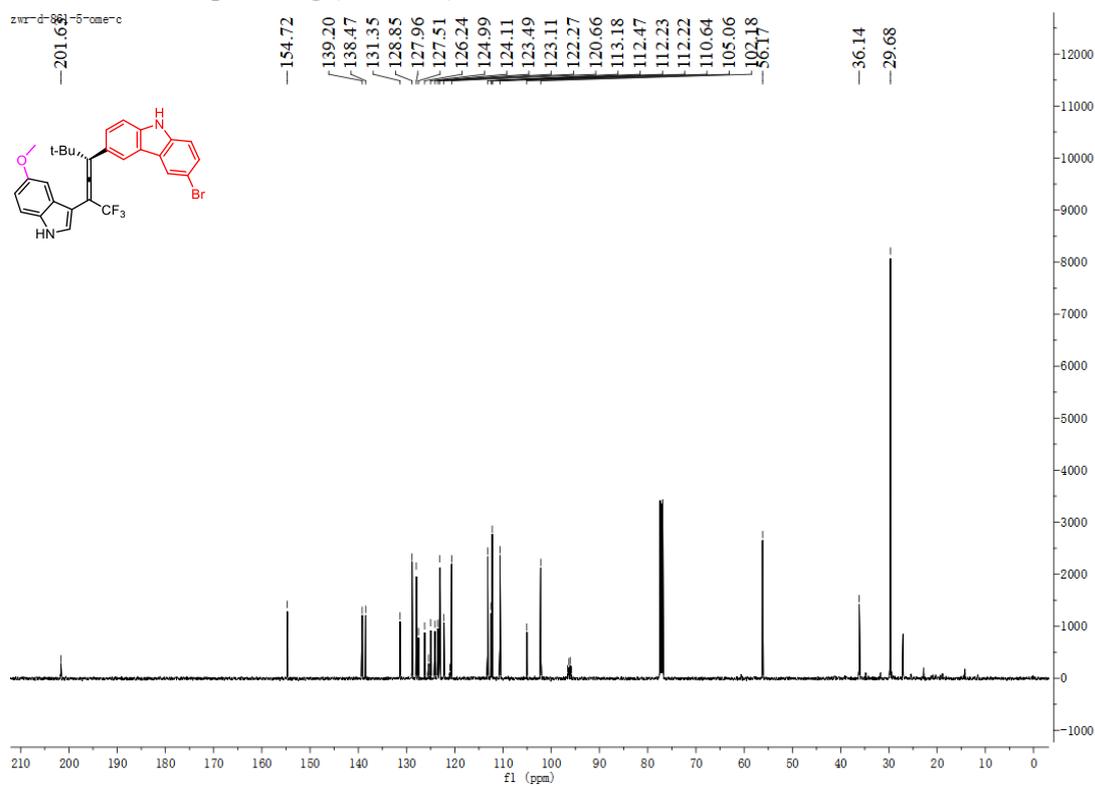
¹⁹F NMR of compound 3f (in CDCl₃)



¹H NMR of compound 3g (in CDCl₃)

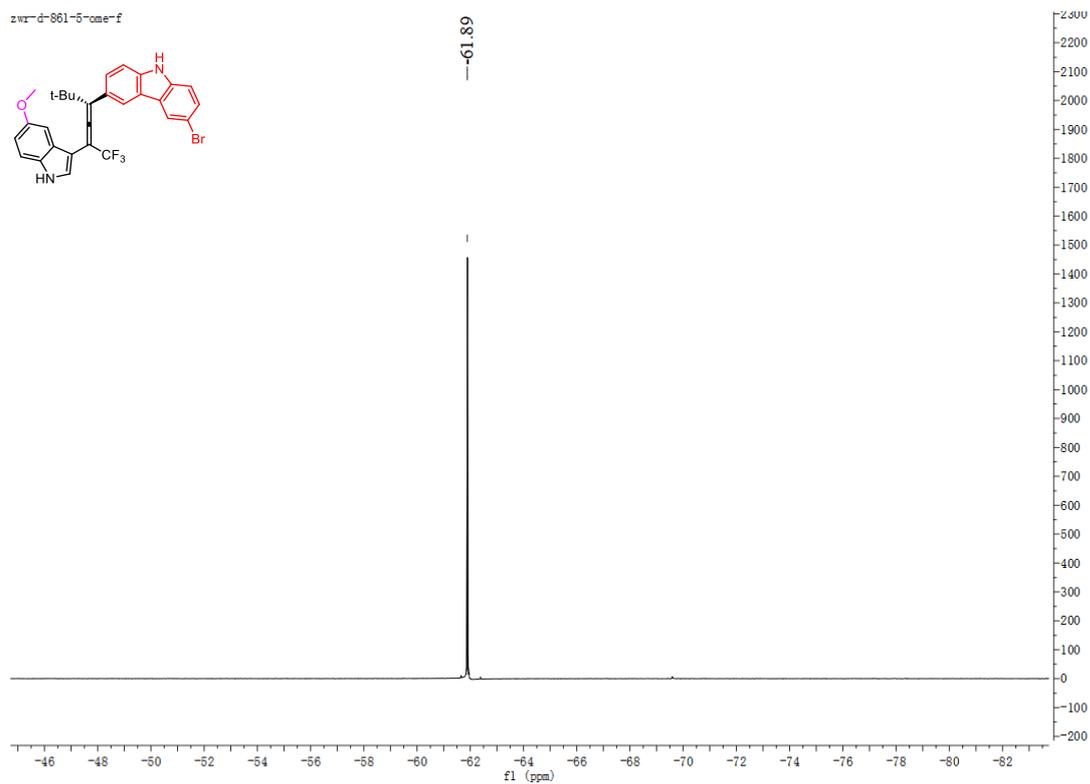


¹³C NMR of compound 3g (in CDCl₃)



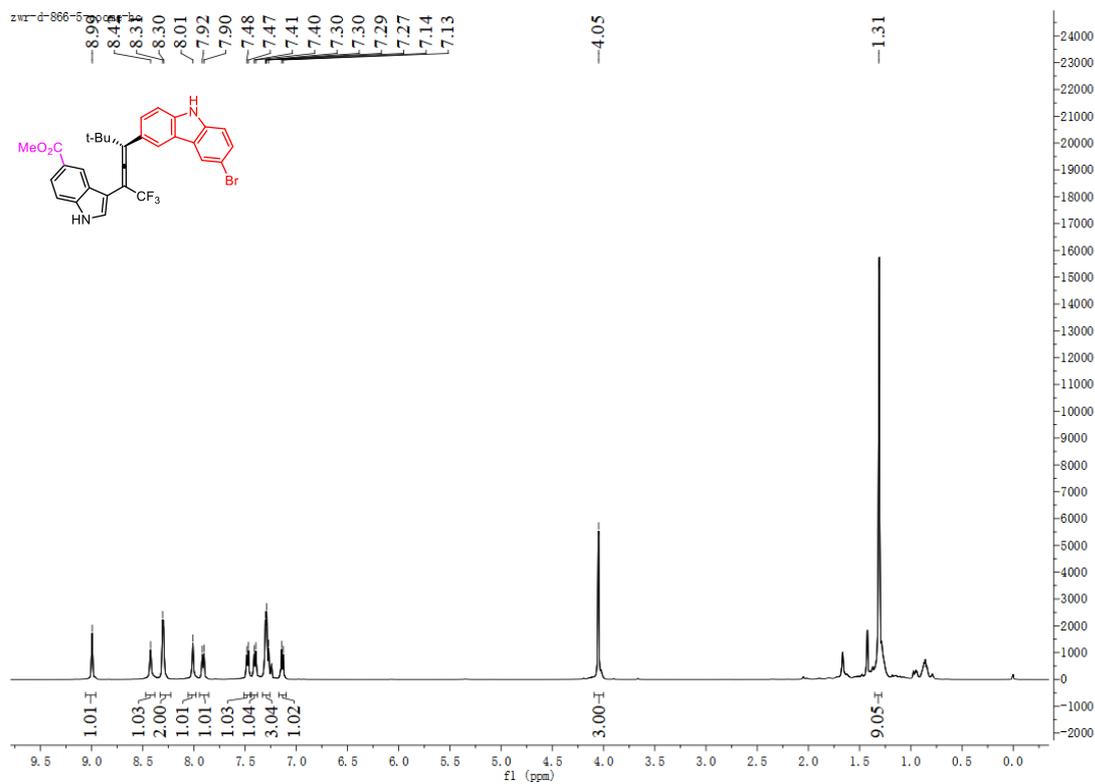
¹⁹F NMR of compound 3g (in CDCl₃)

2wv-d-861-5-ome-f

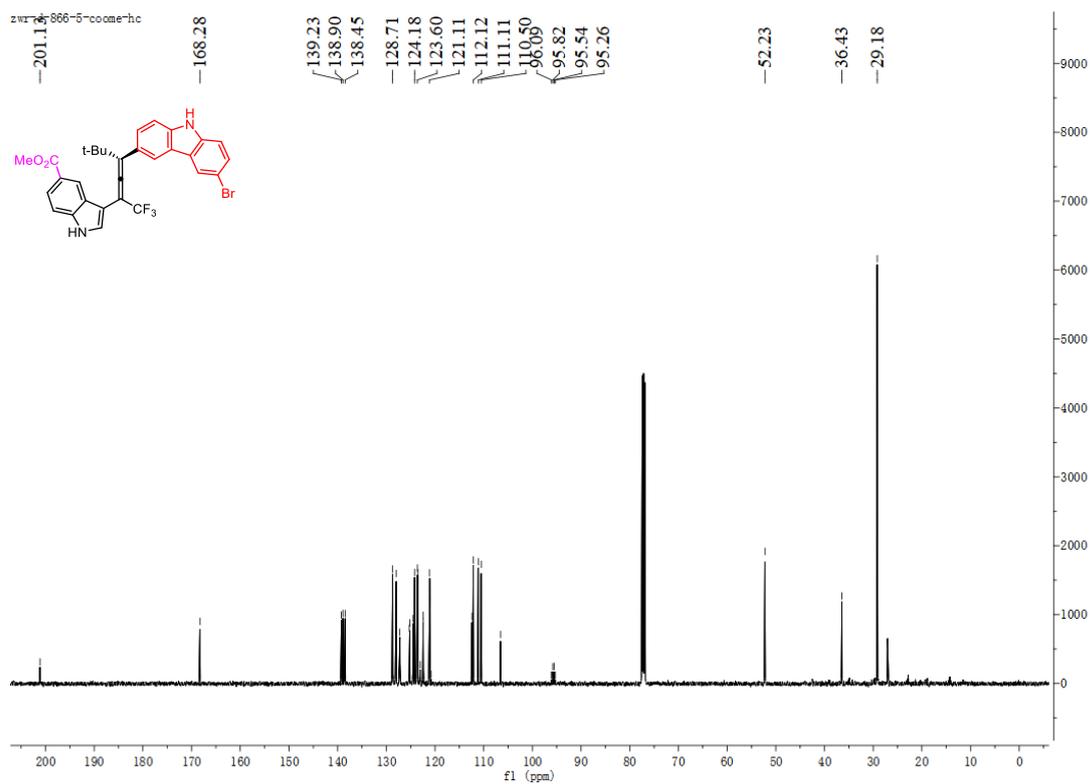


¹H NMR of compound 3h (in CDCl₃)

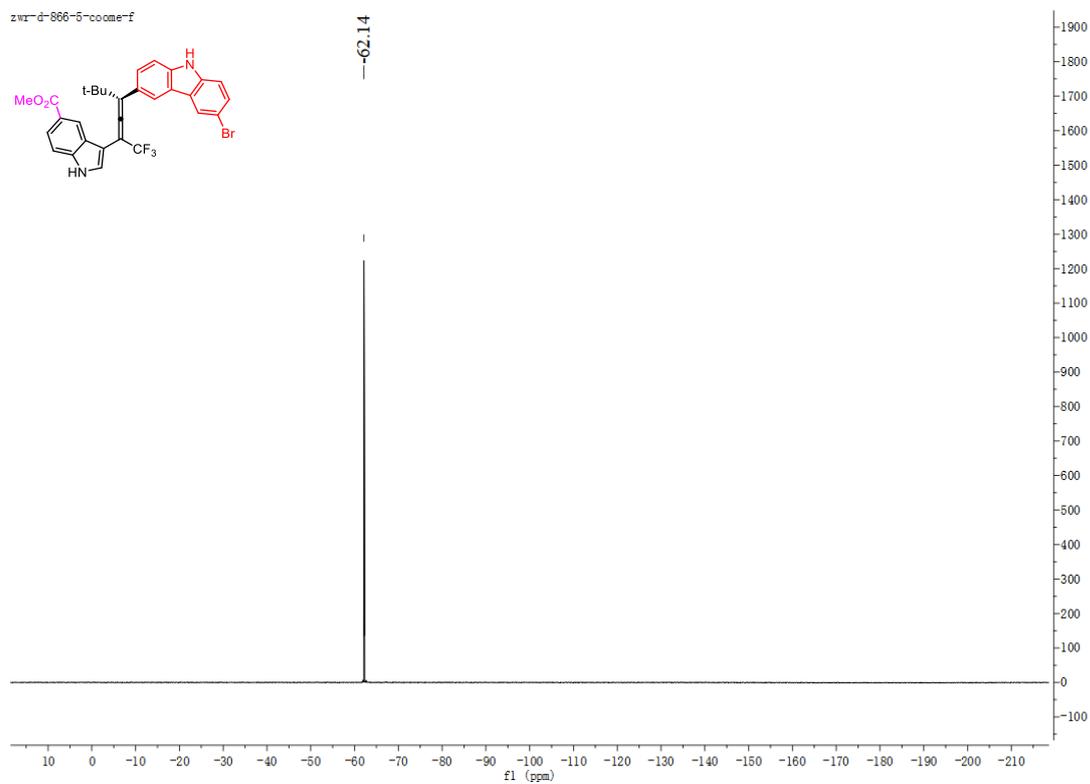
2wv-d-866-5-ome-f



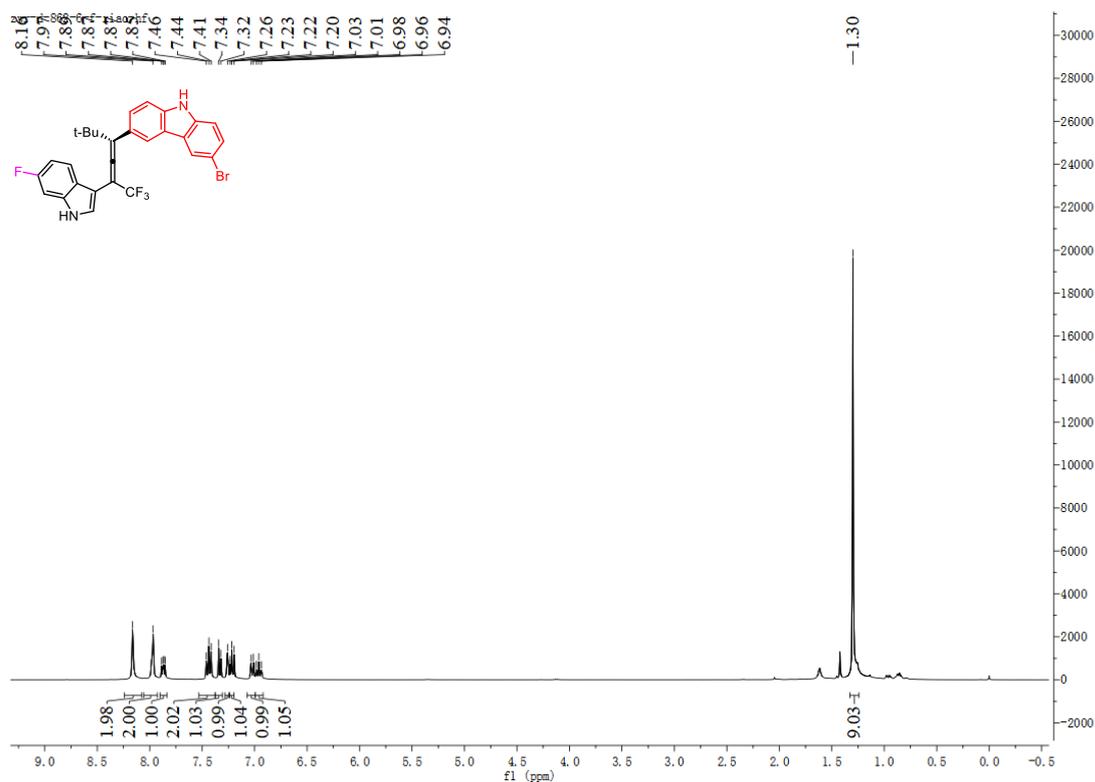
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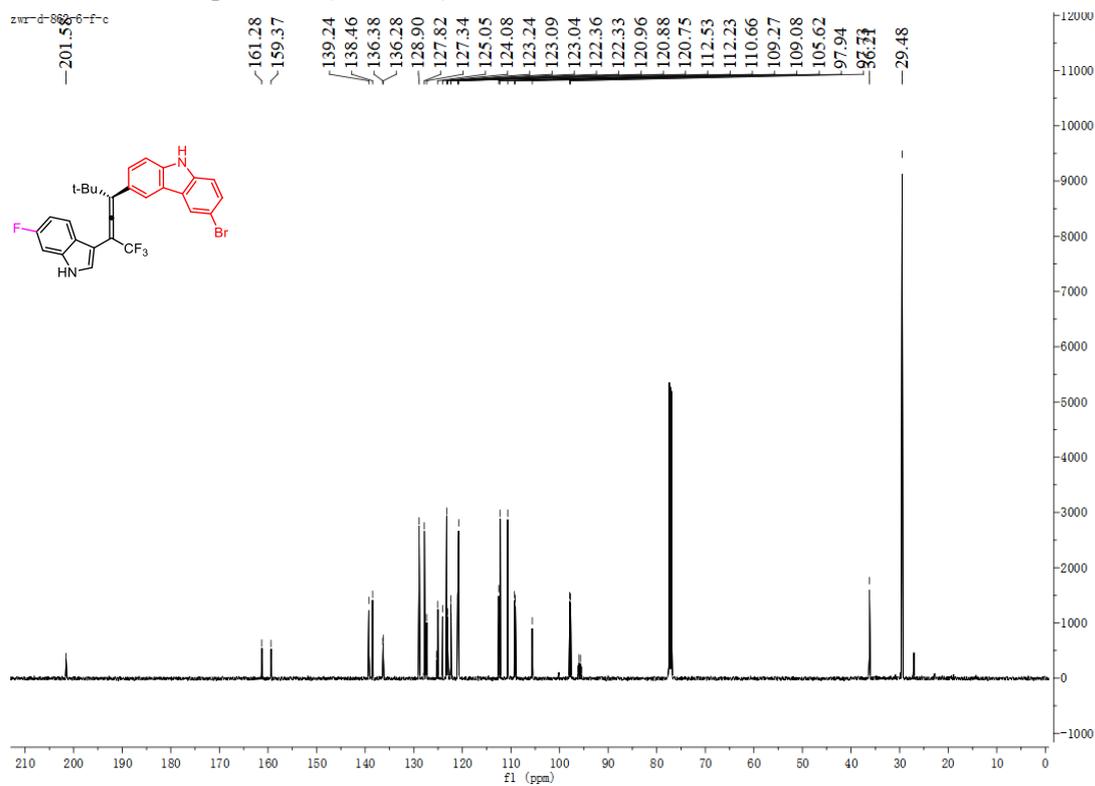
¹⁹F NMR of compound 3h (in CDCl₃)



¹H NMR of compound 3i (in CDCl₃)

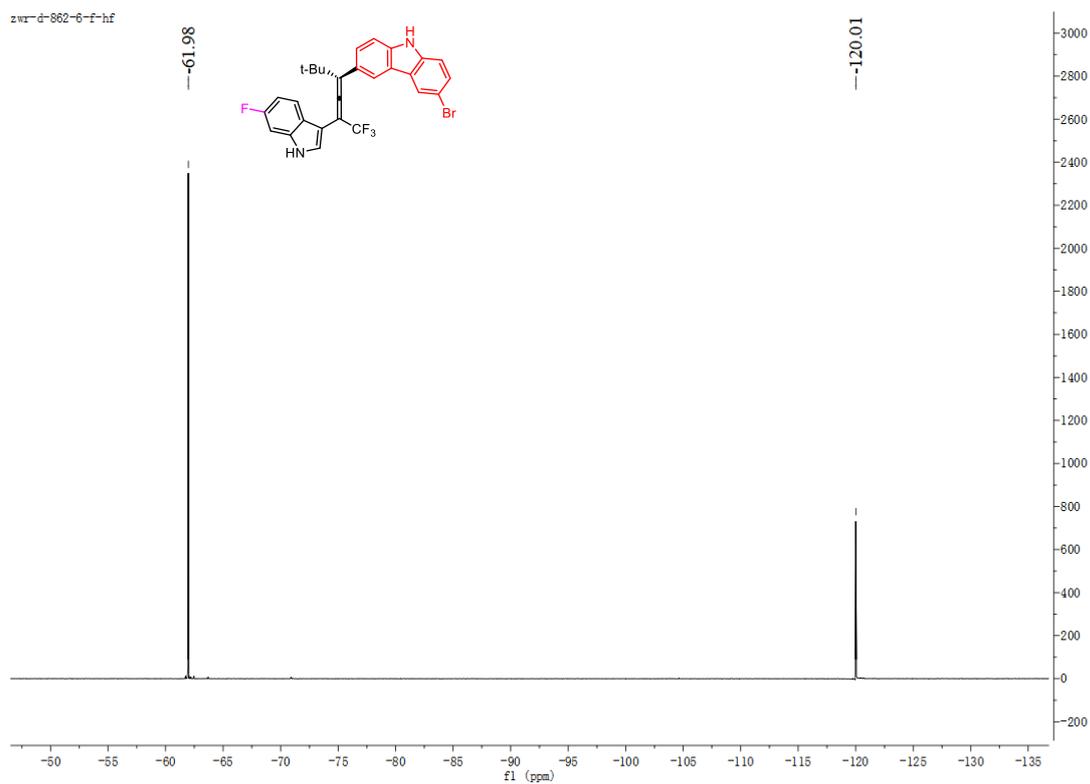


¹³C NMR of compound 3i (in CDCl₃)

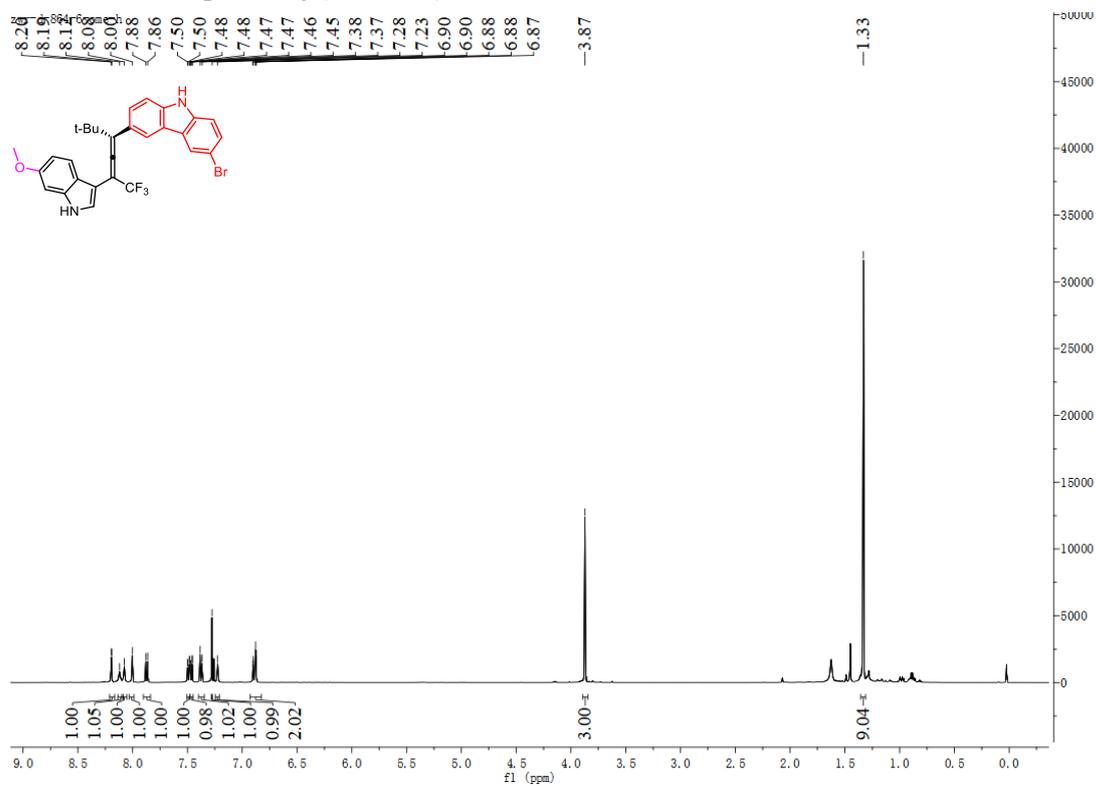


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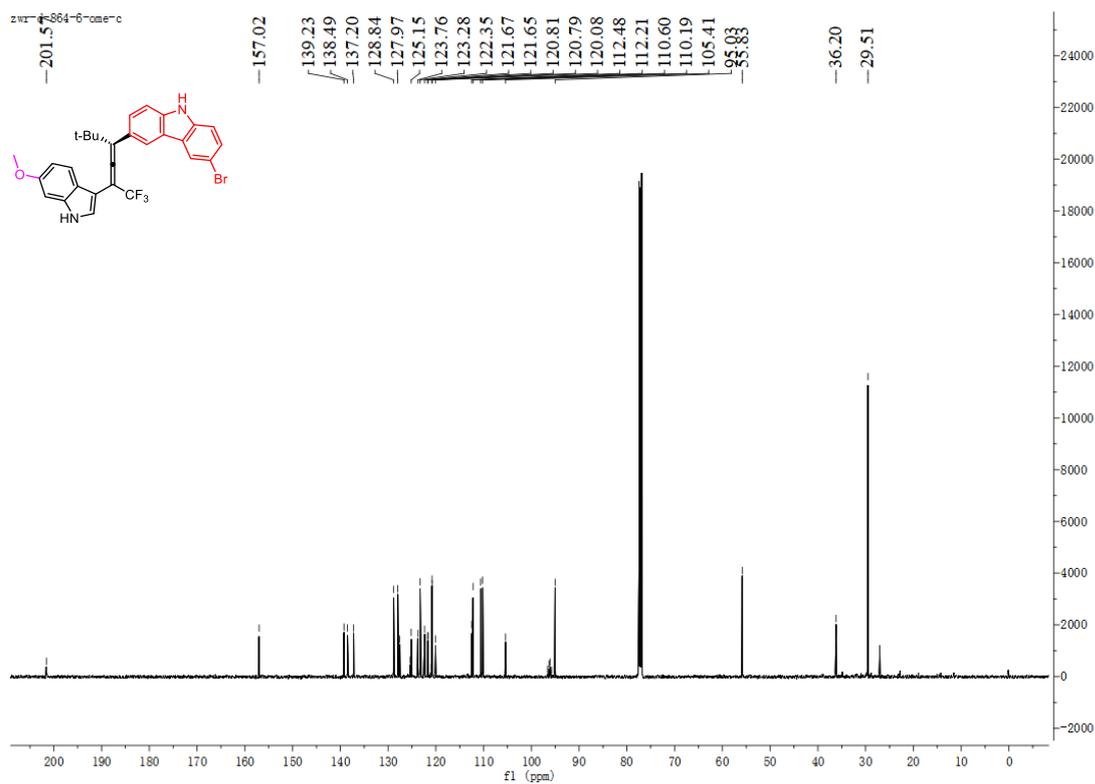
2.wt-d-862-6-f-hf



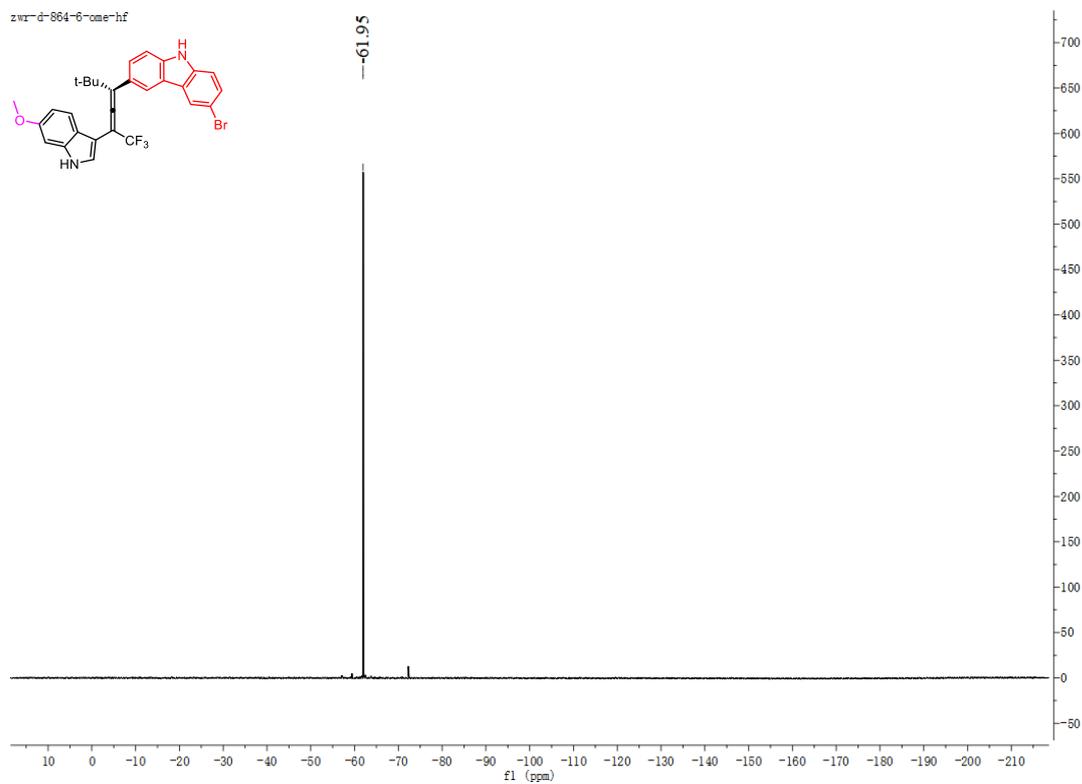
¹H NMR of compound 3j (in CDCl₃)



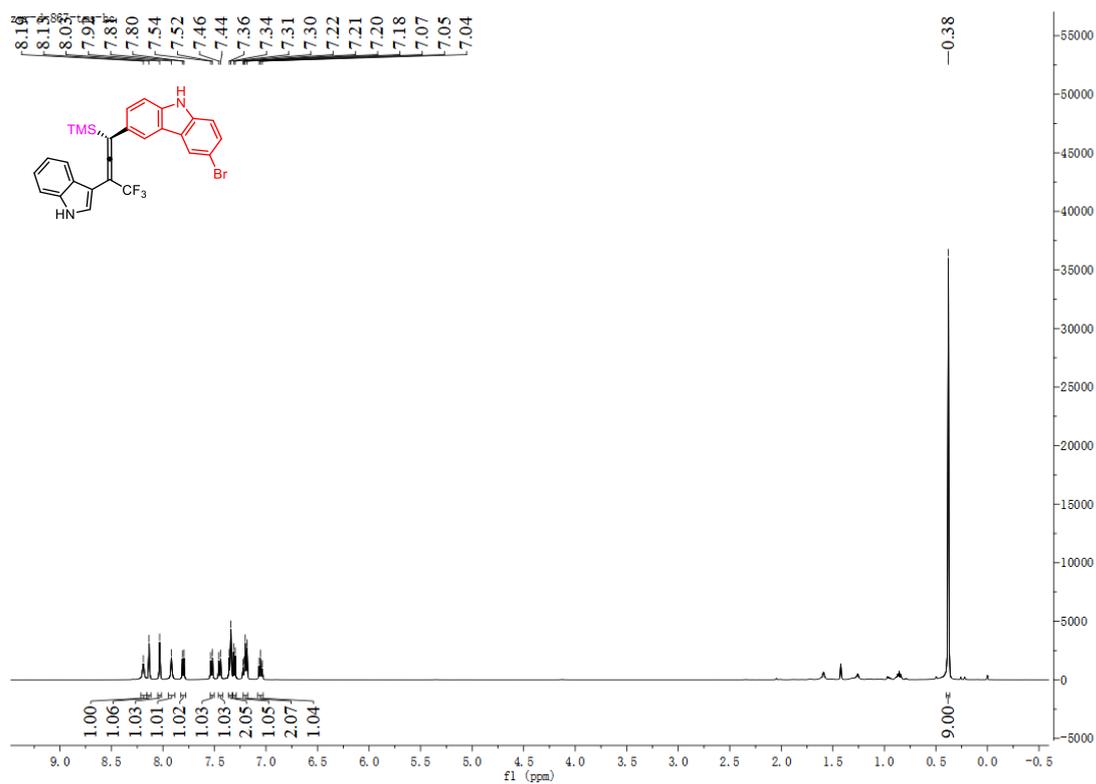
¹³C NMR of compound 3j (in CDCl₃)



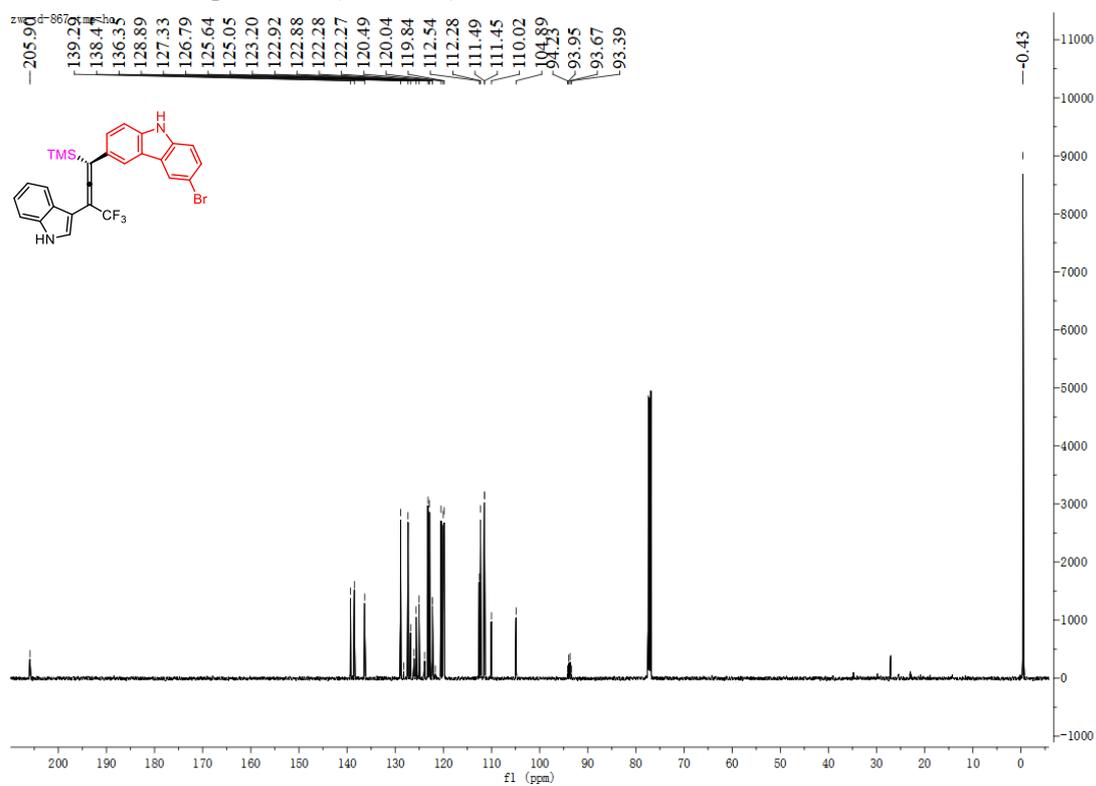
¹⁹F NMR of compound 3j (in CDCl₃)



¹H NMR of compound 3k (in CDCl₃)

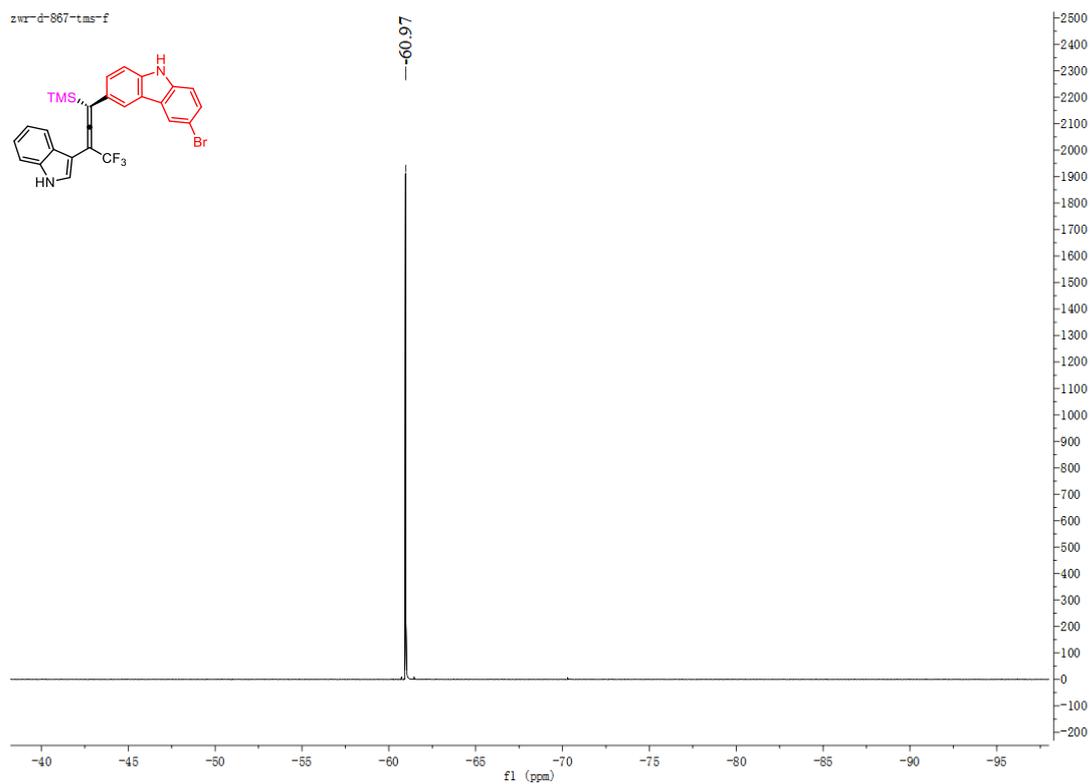


¹³C NMR of compound 3k (in CDCl₃)

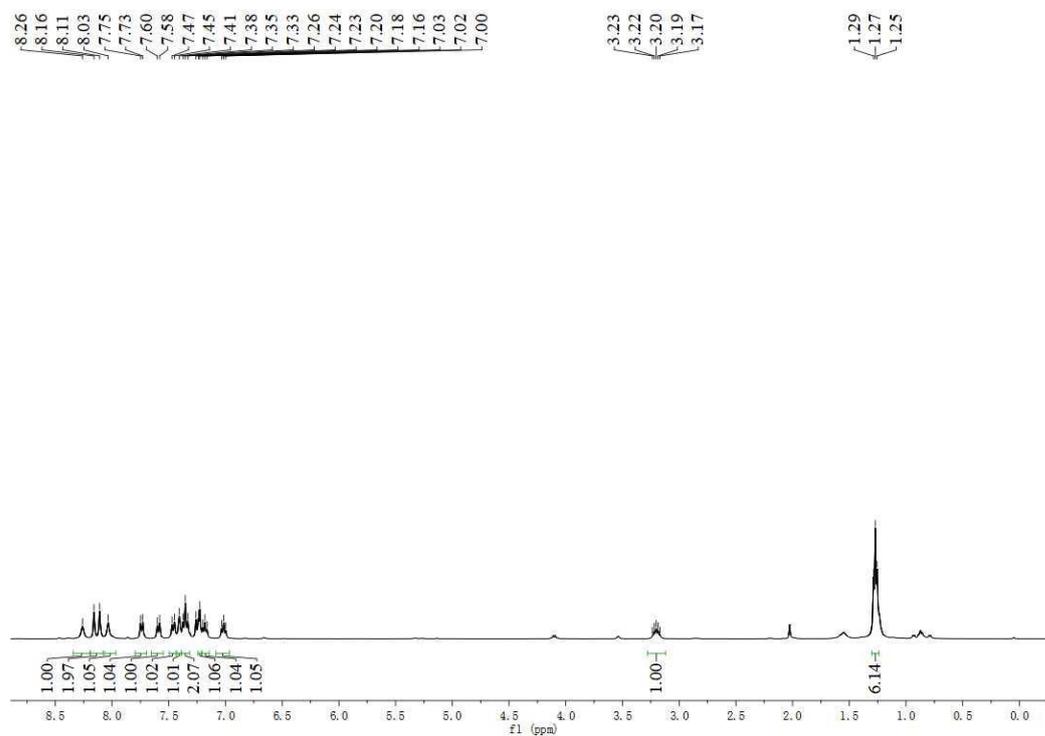


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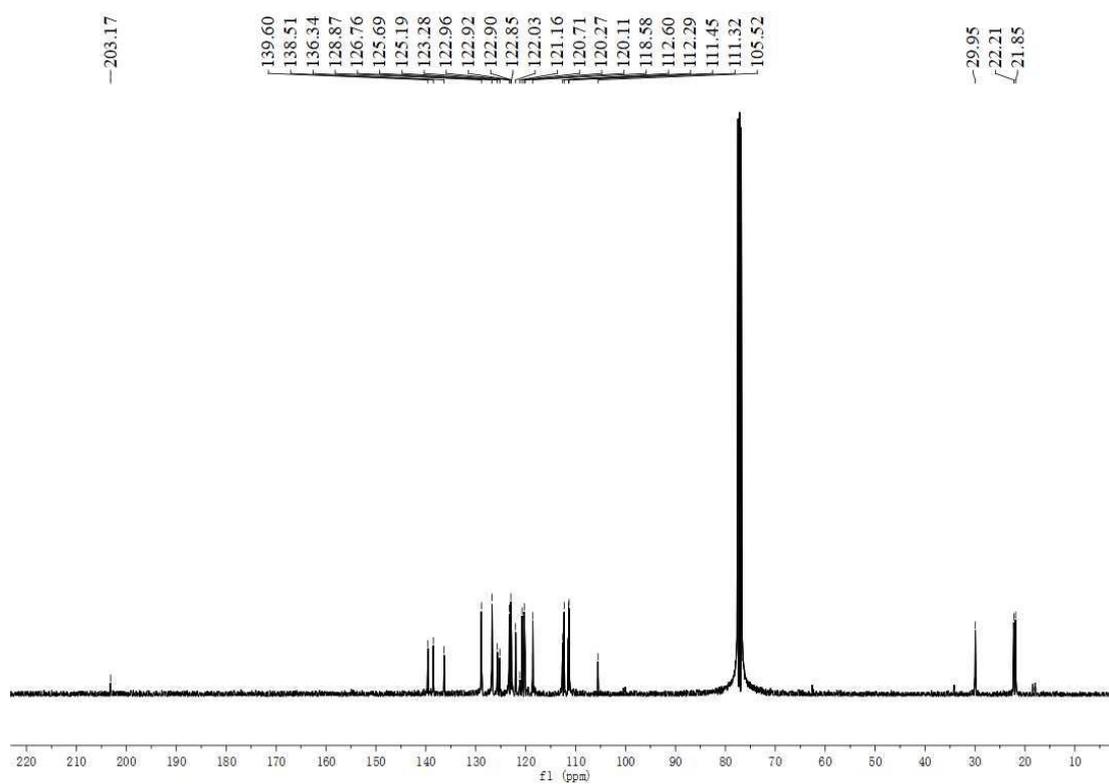
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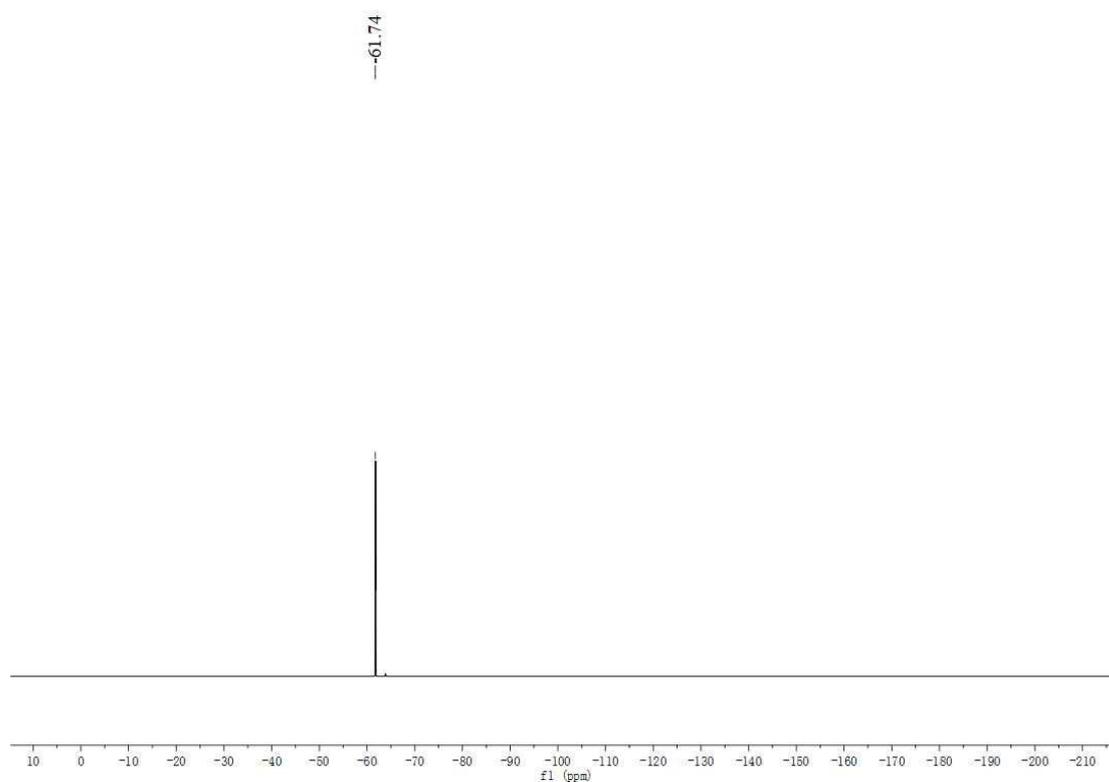
¹H NMR of compound 3l (in CDCl₃)



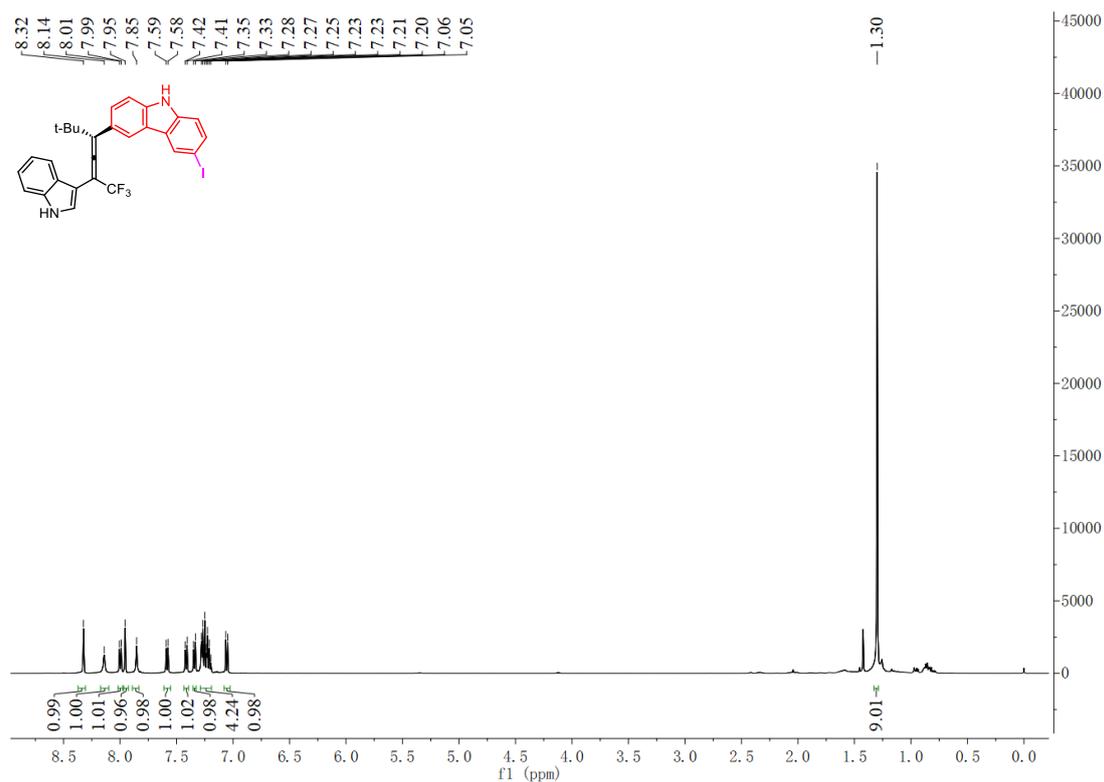
¹³C NMR of compound 31 (in CDCl₃)



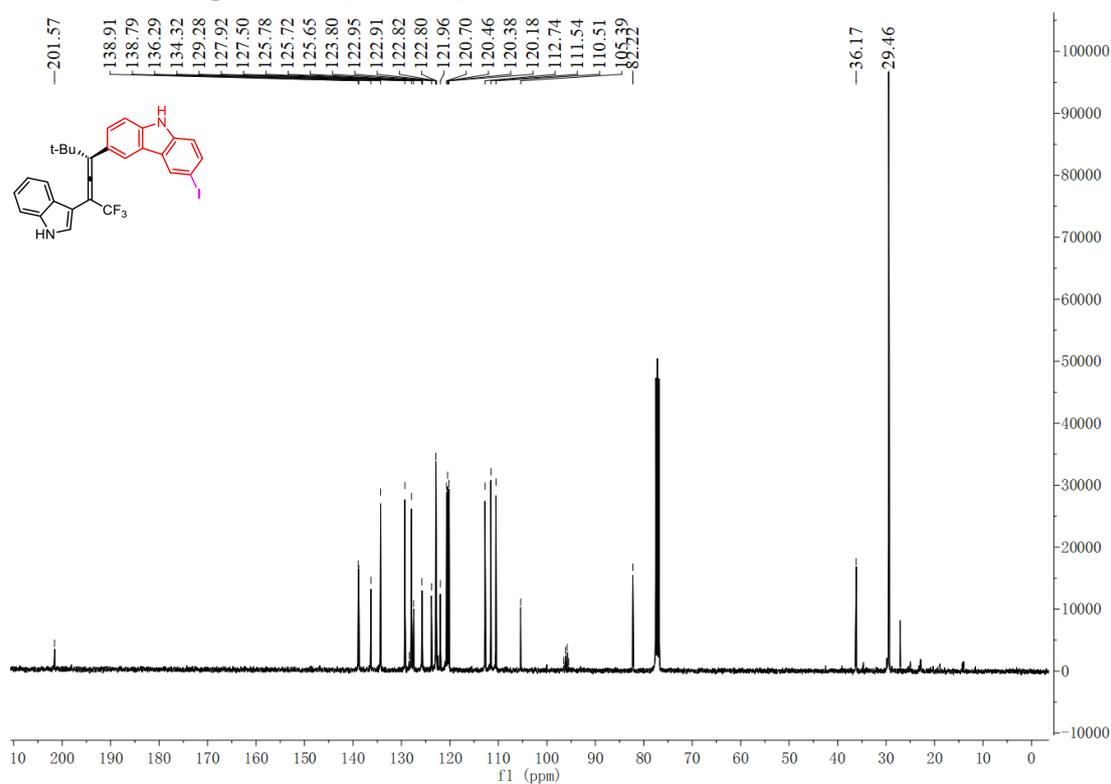
¹⁹F NMR of compound 31 (in CDCl₃)



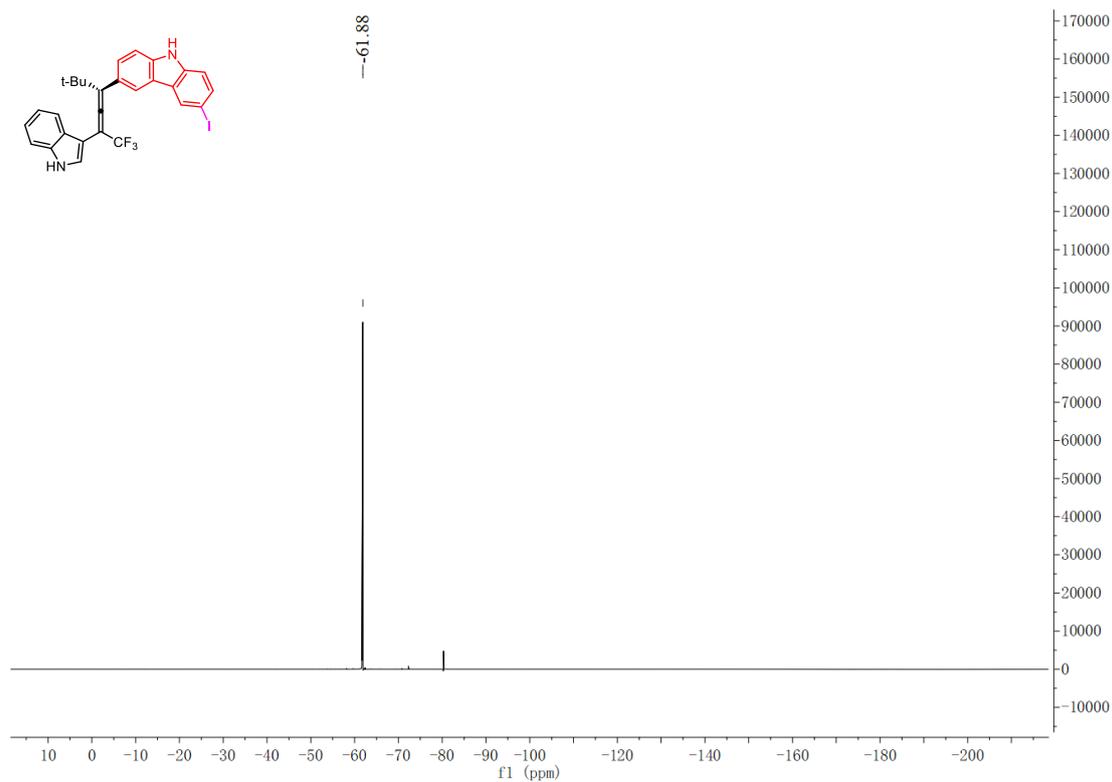
¹H NMR of compound 3m (in CDCl₃)



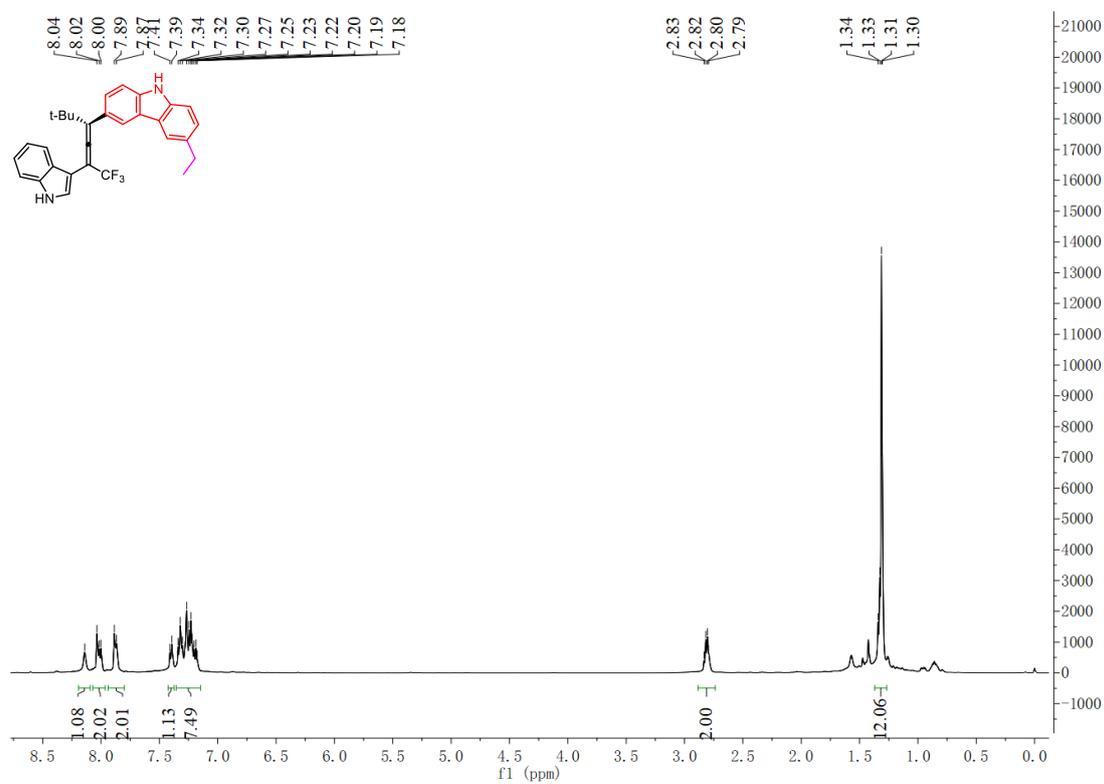
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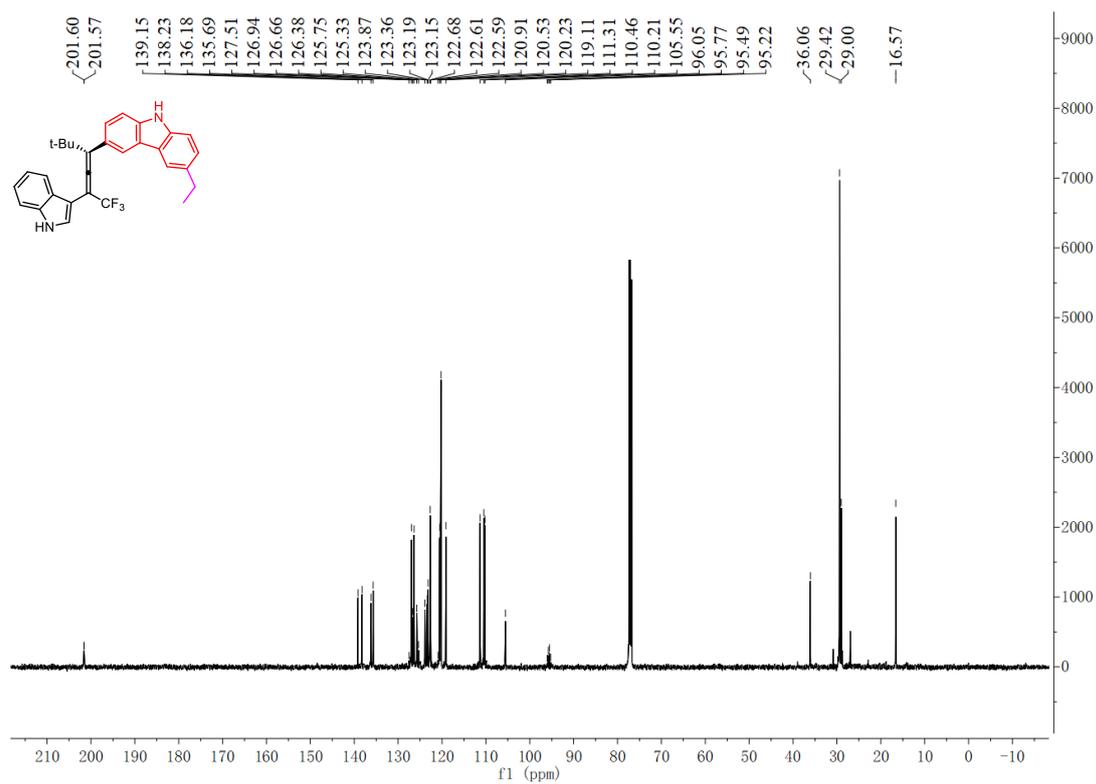
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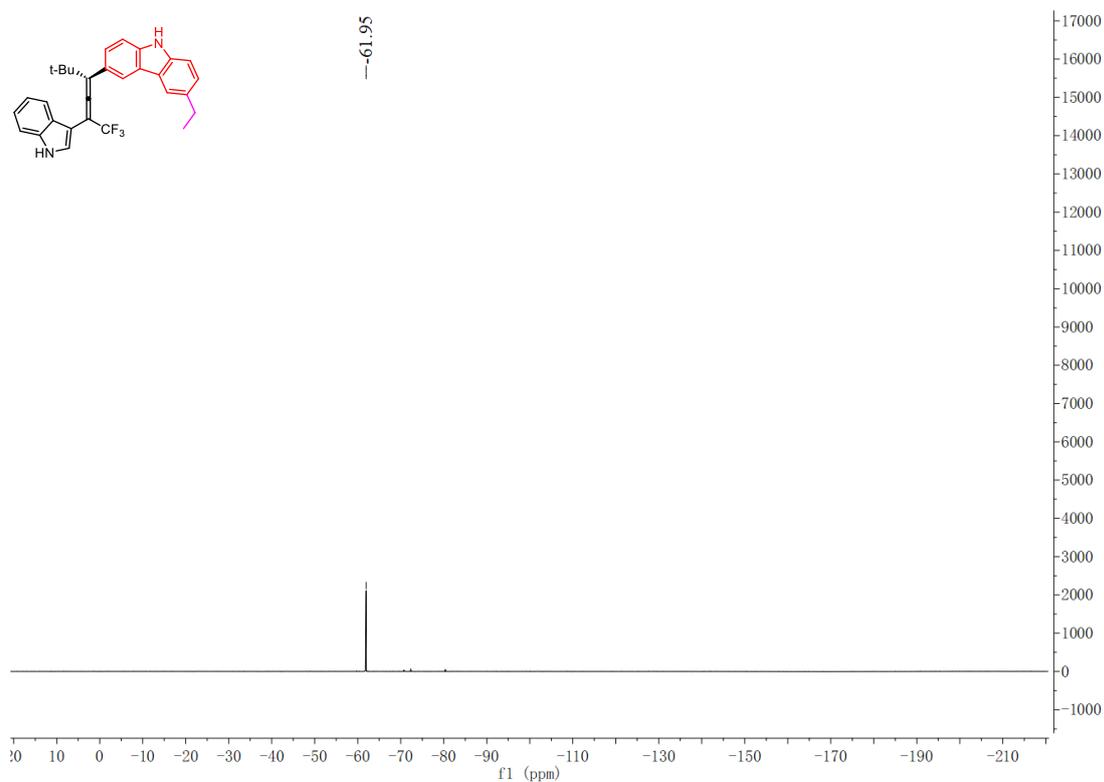
¹H NMR of compound 3n (in CDCl₃)



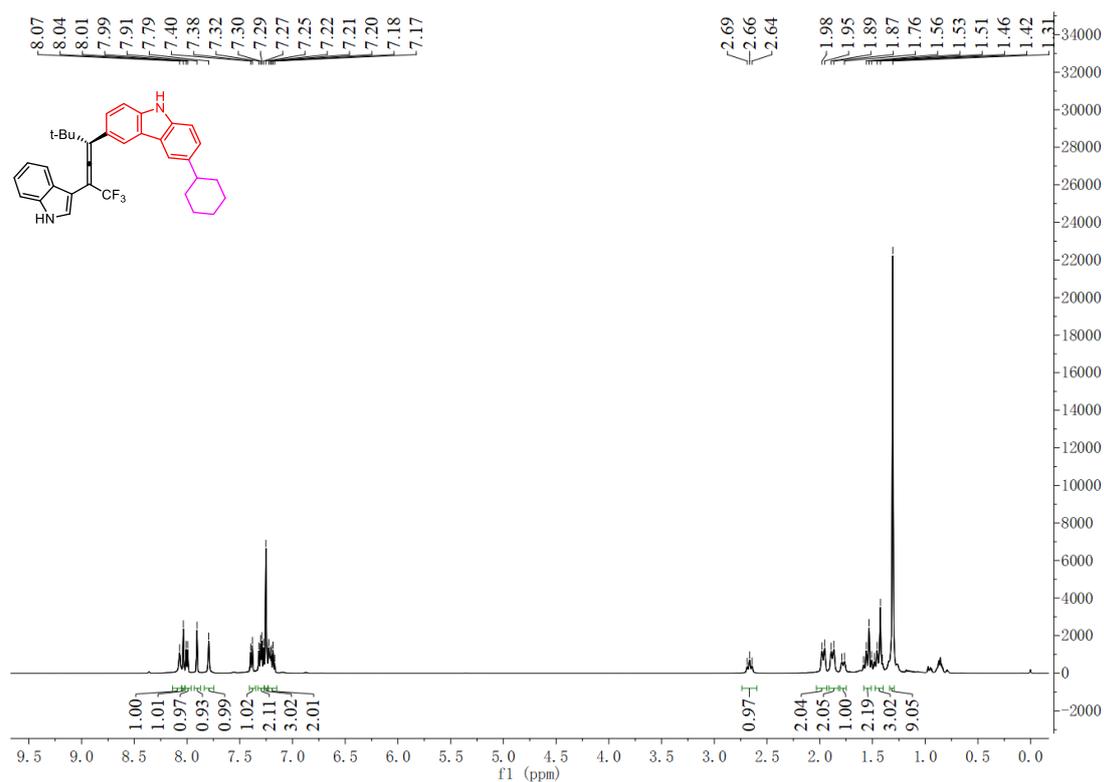
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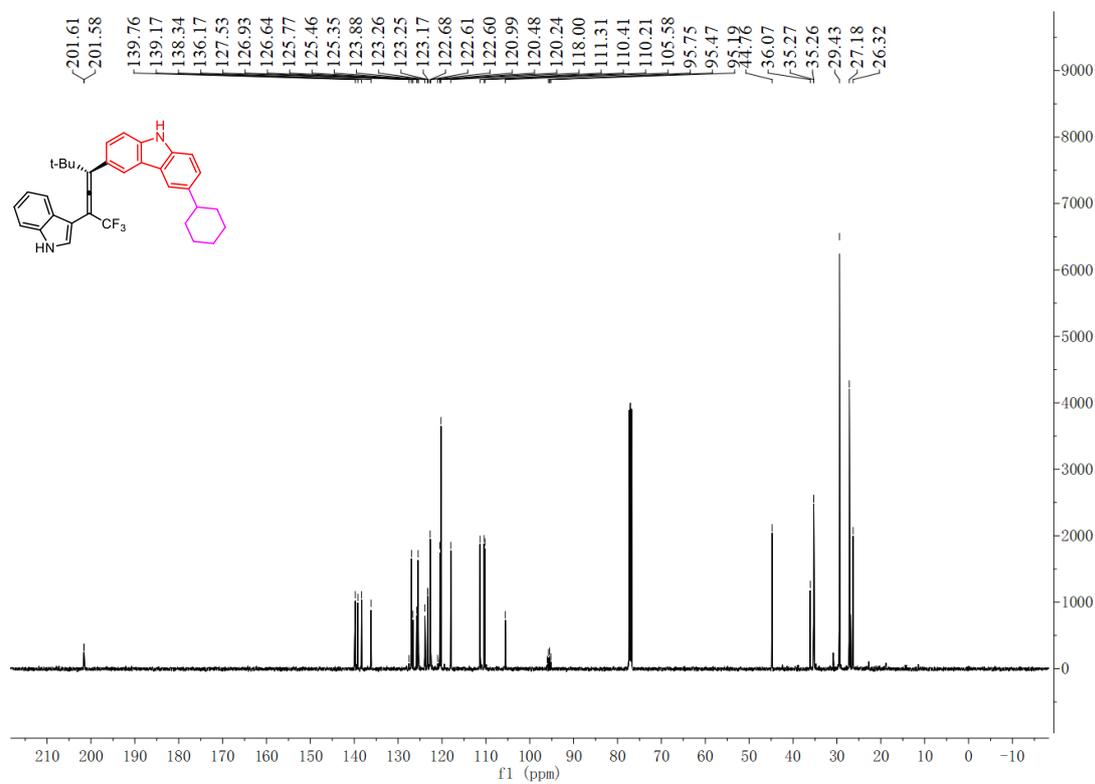
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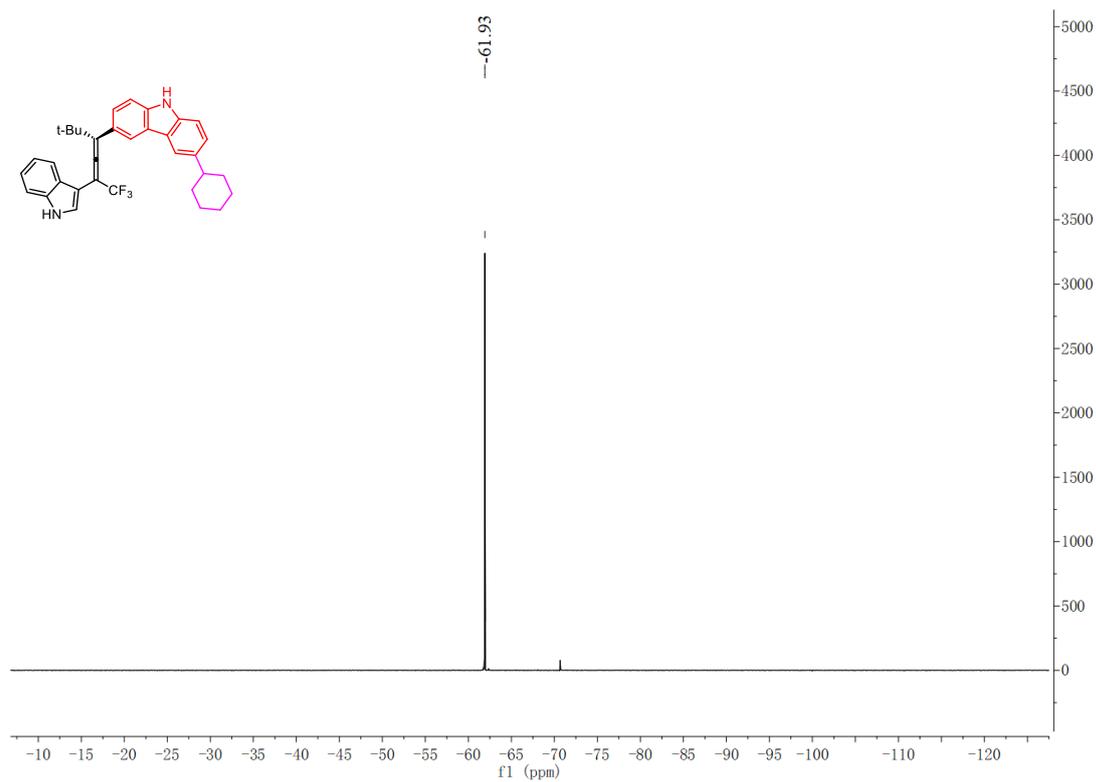
¹H NMR of compound 3o (in CDCl₃)



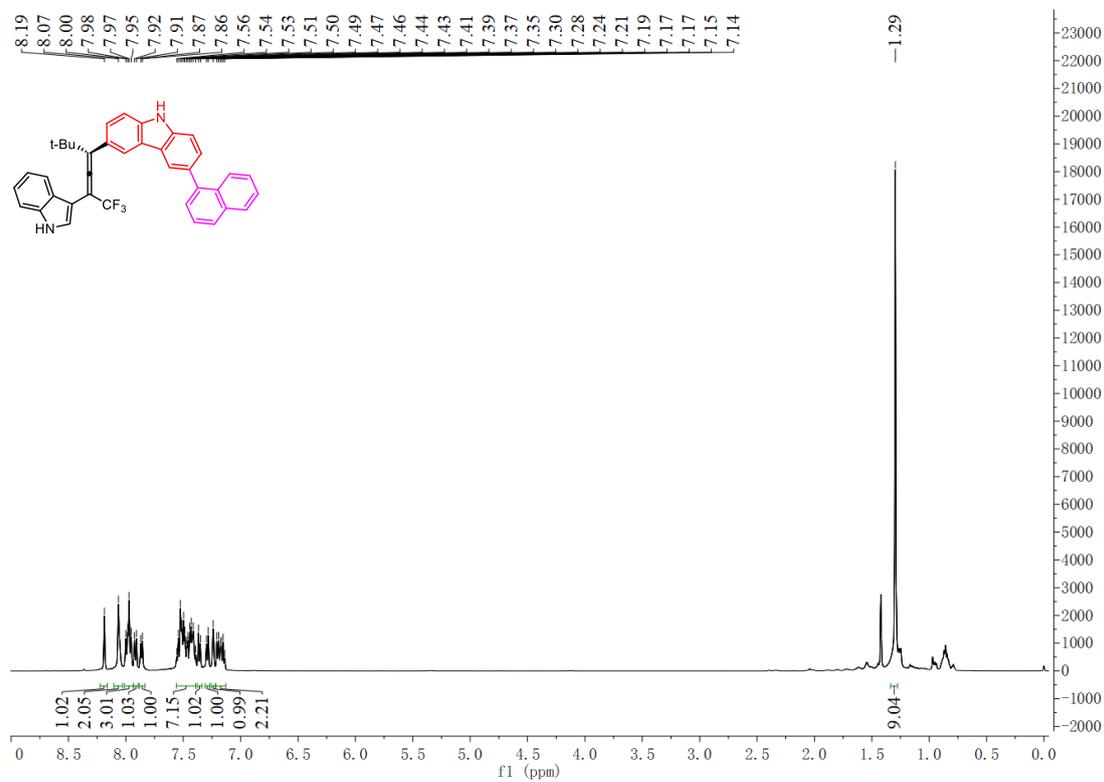
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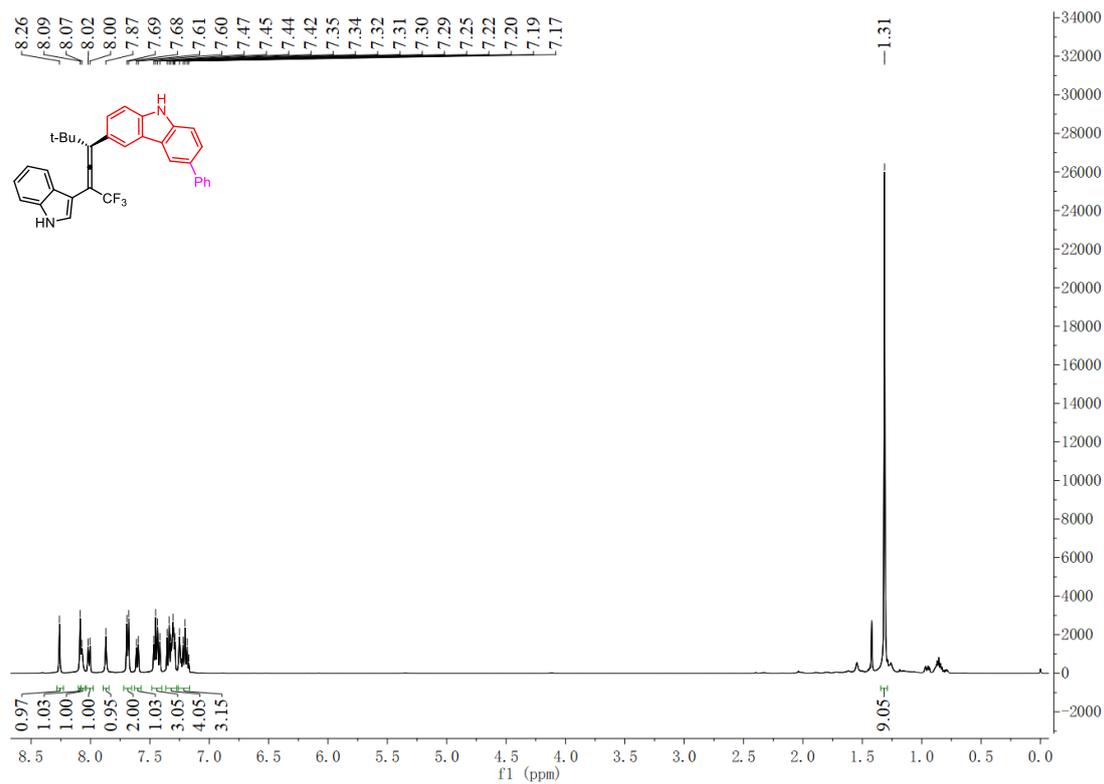
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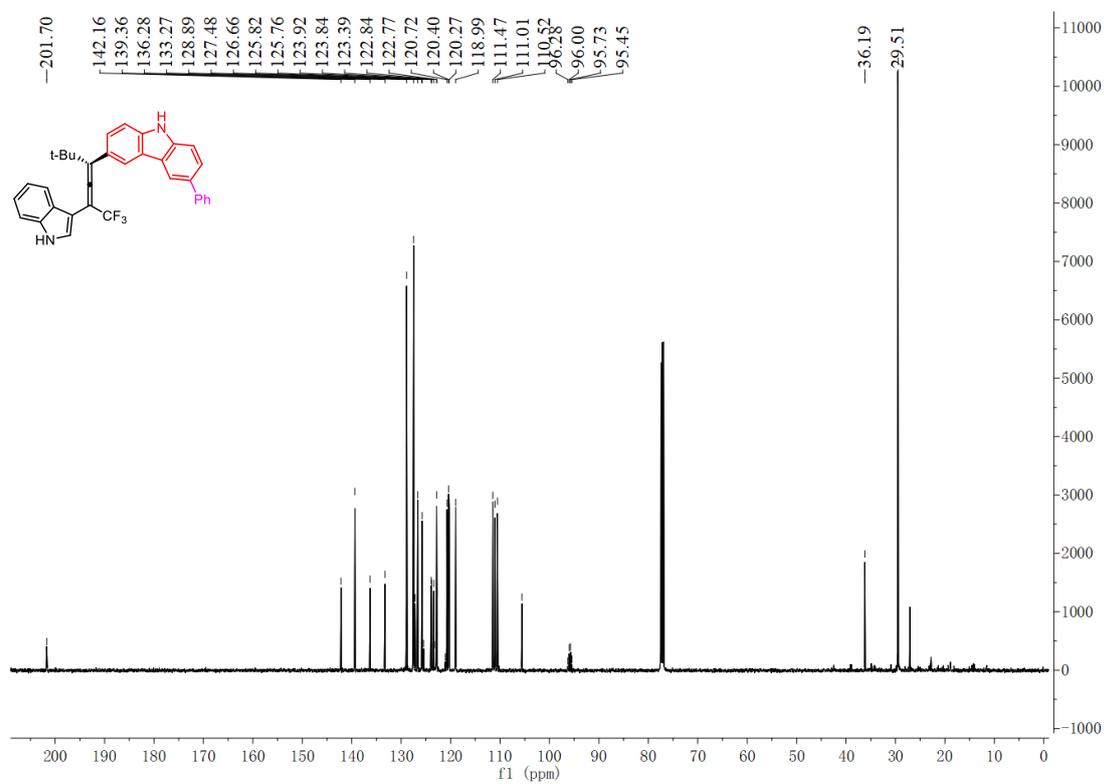
¹H NMR of compound 3p (in CDCl₃)



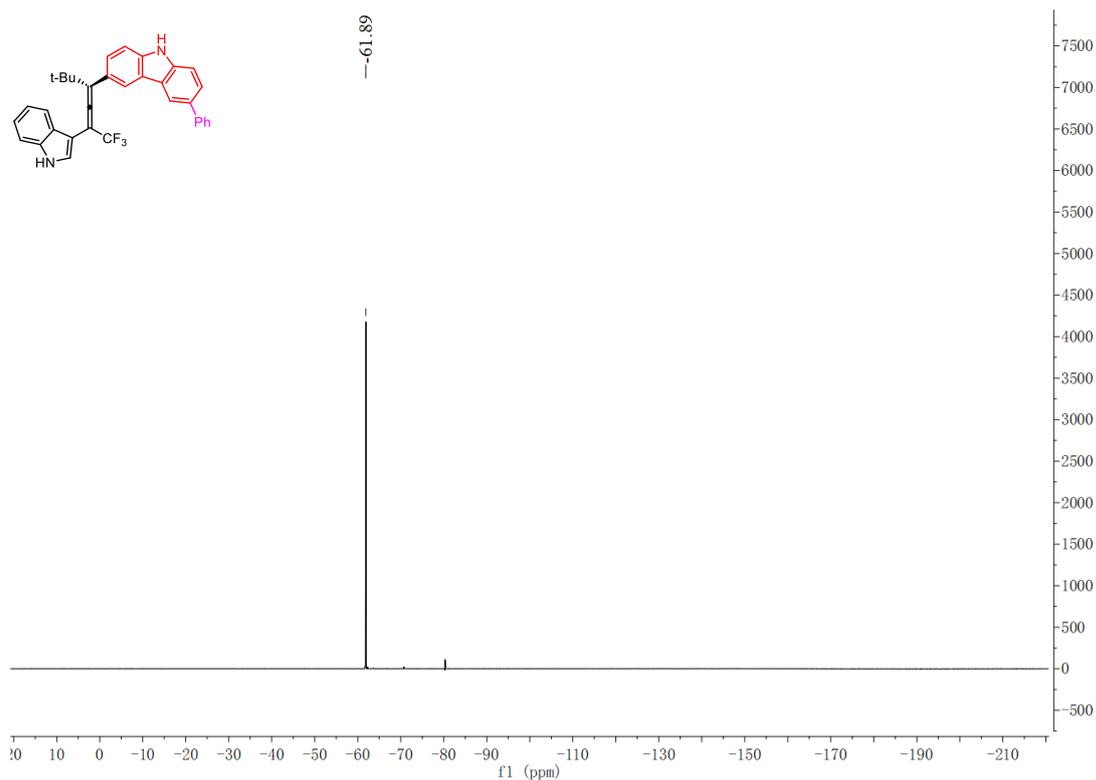
¹H NMR of compound 3q (in CDCl₃)



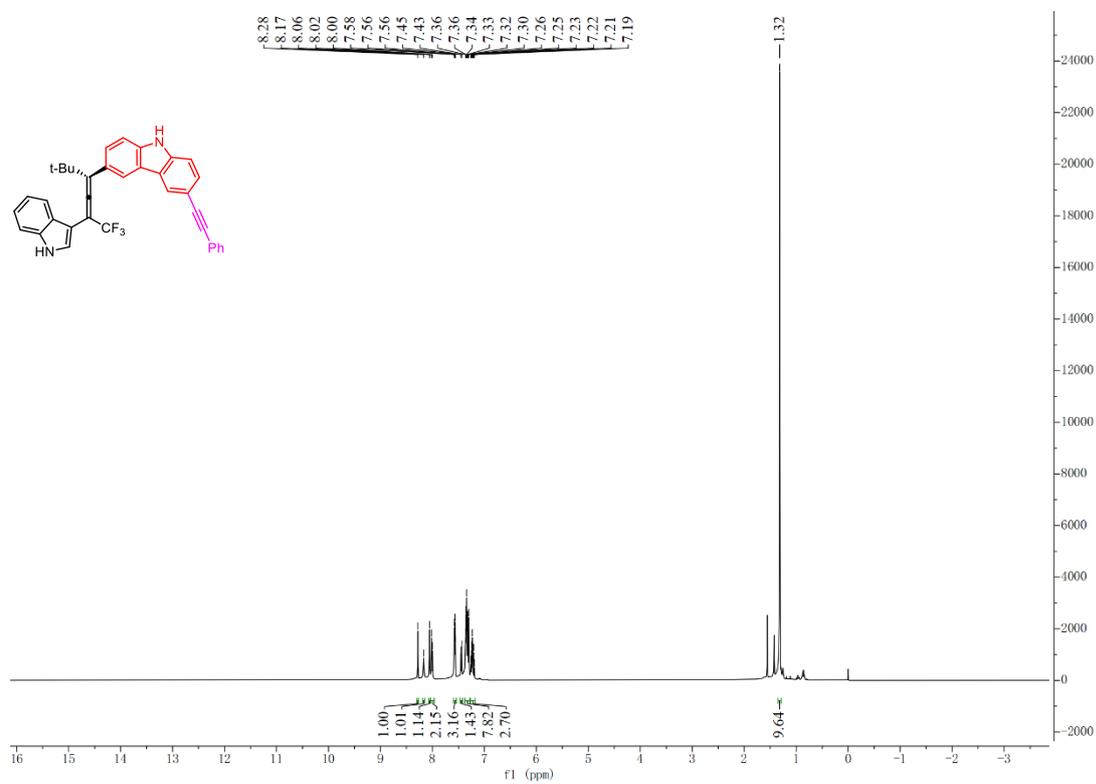
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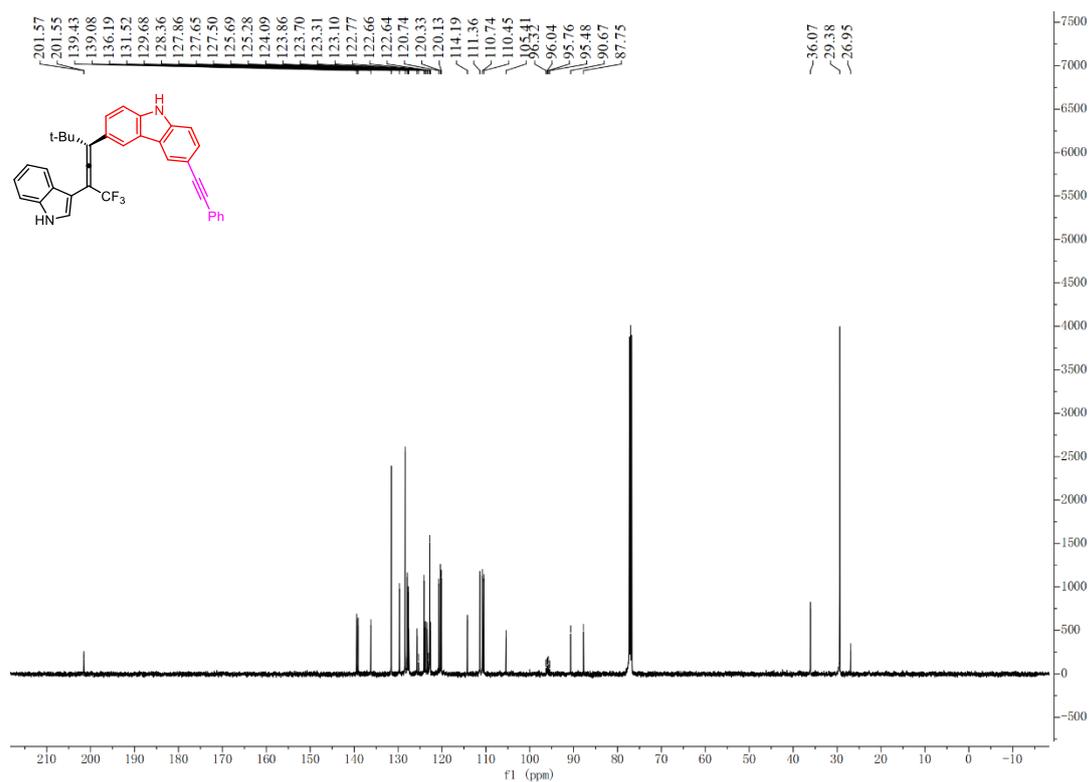
^{19}F NMR of compound 3q (in CDCl_3)



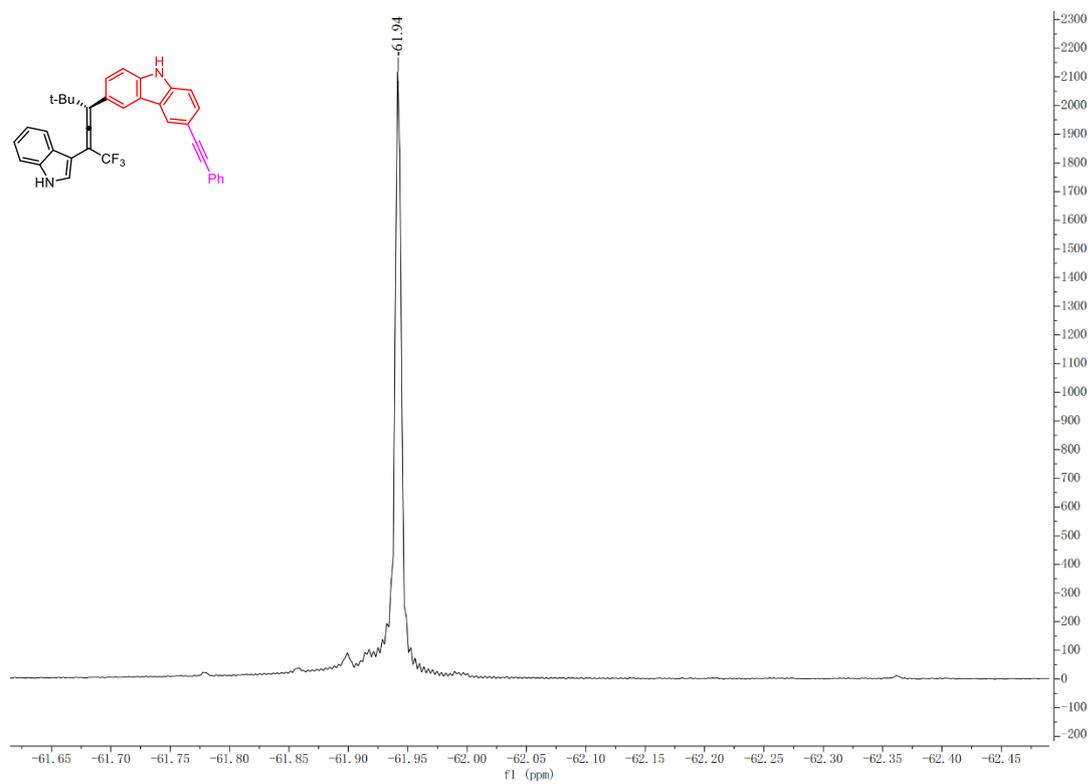
^1H NMR of compound 3r (in CDCl_3)



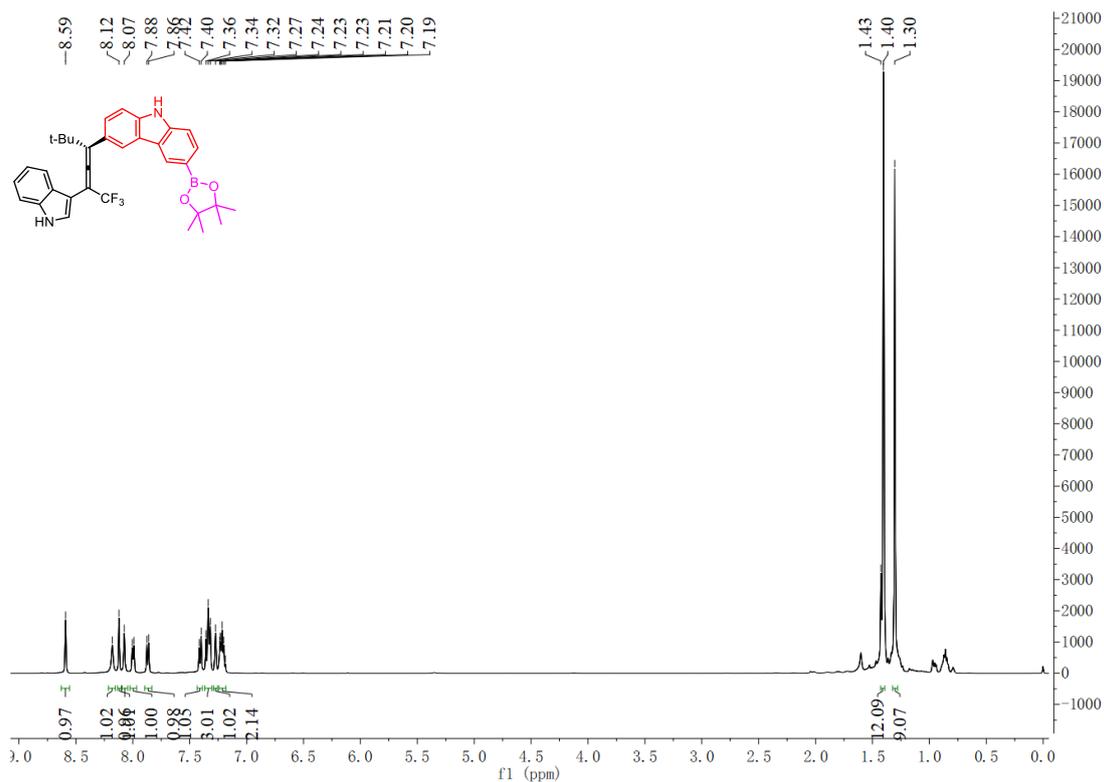
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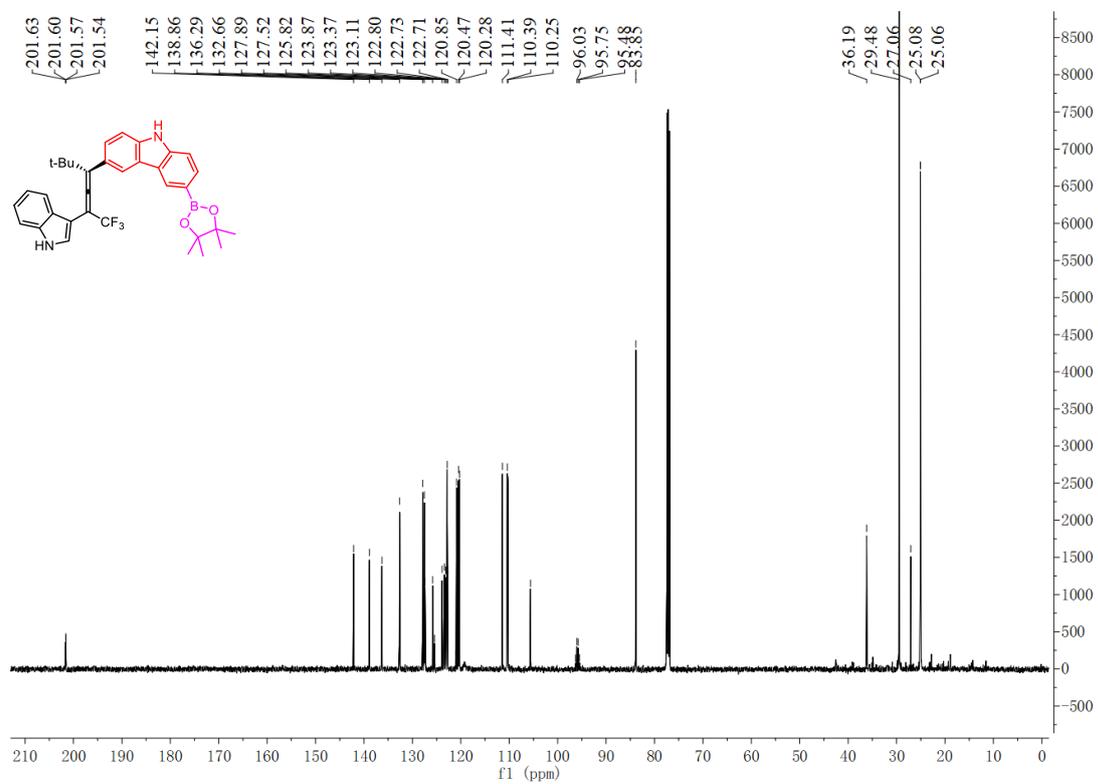
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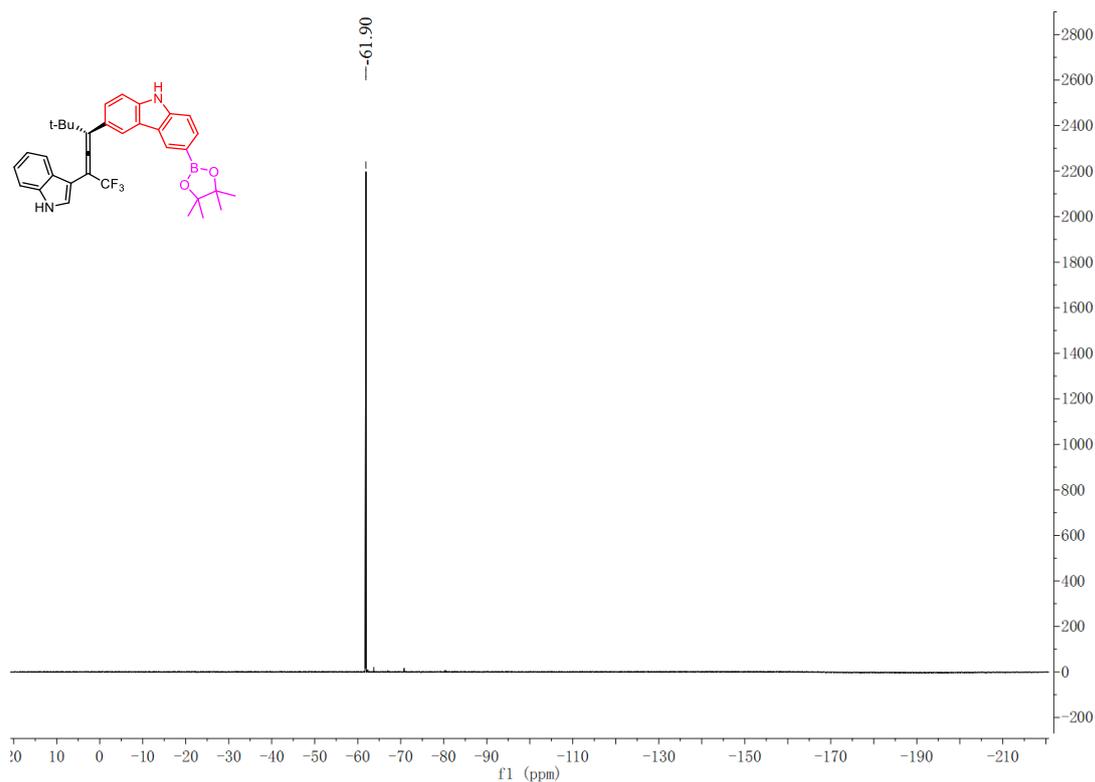
¹H NMR of compound 3s (in CDCl₃)



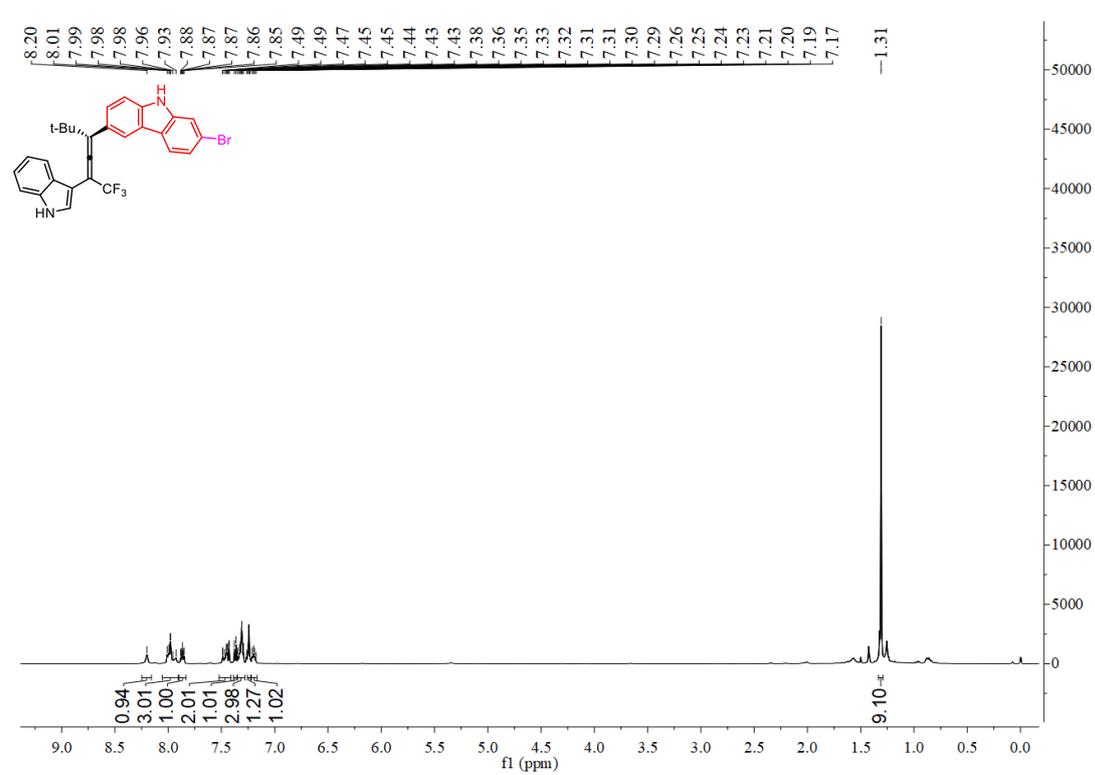
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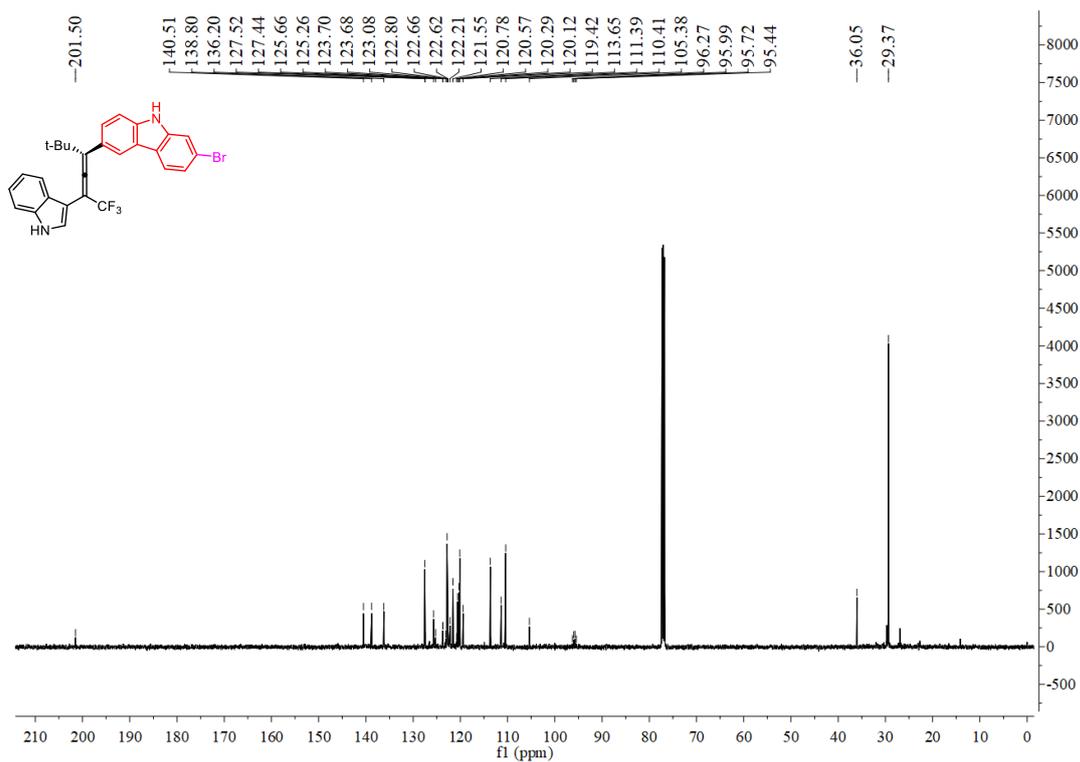
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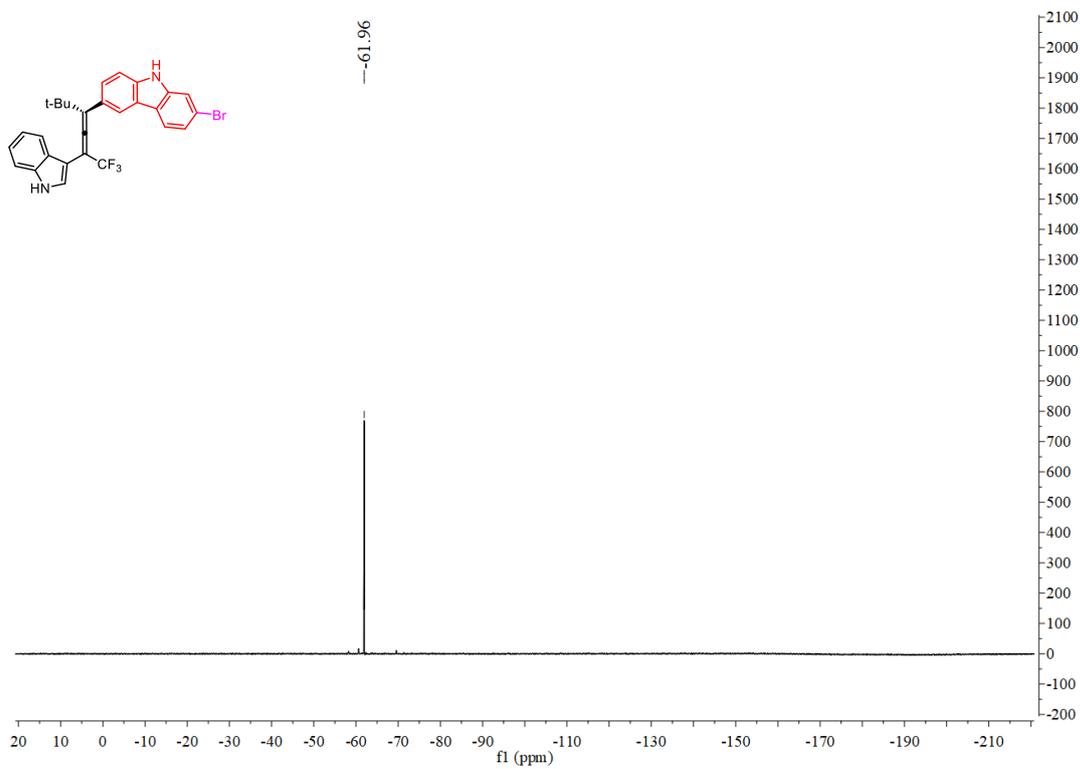
¹H NMR of compound 3t (in CDCl₃)



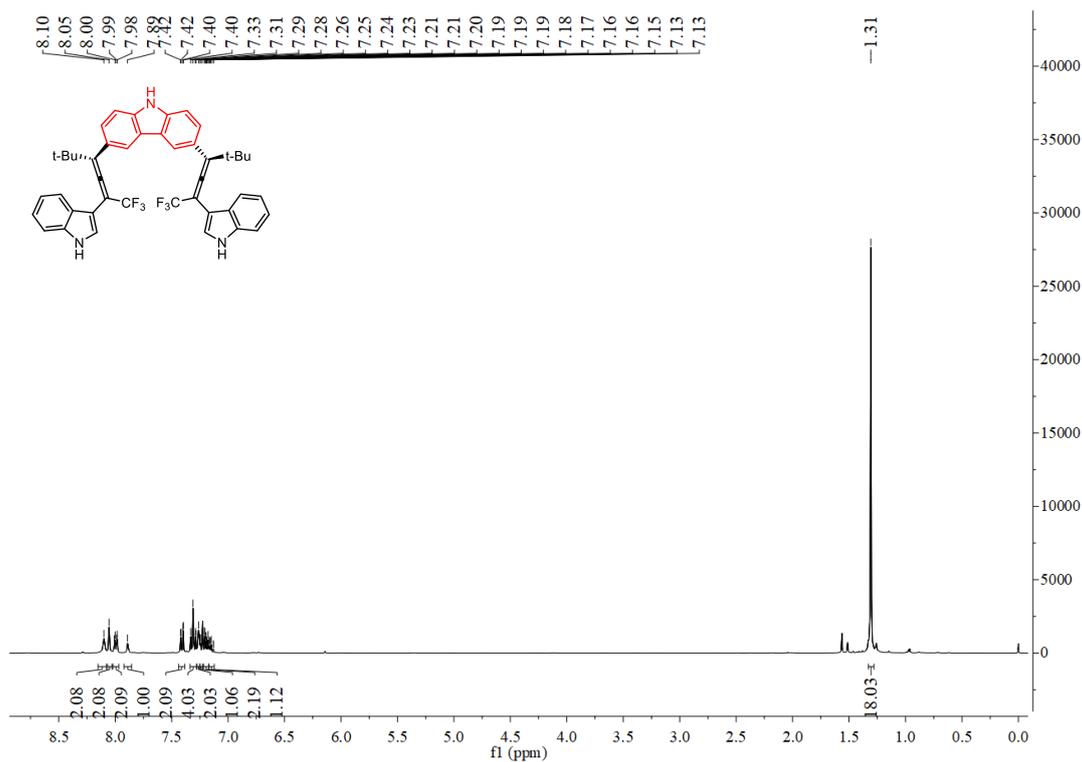
¹³C NMR of compound 3t (in CDCl₃)



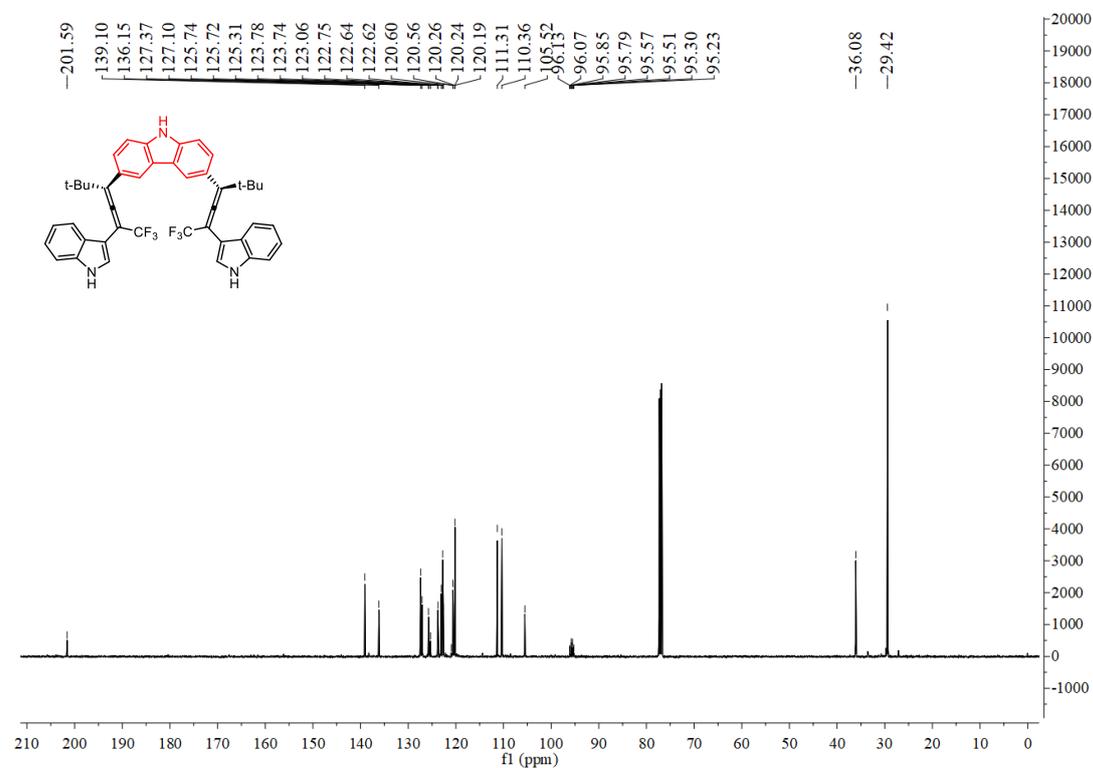
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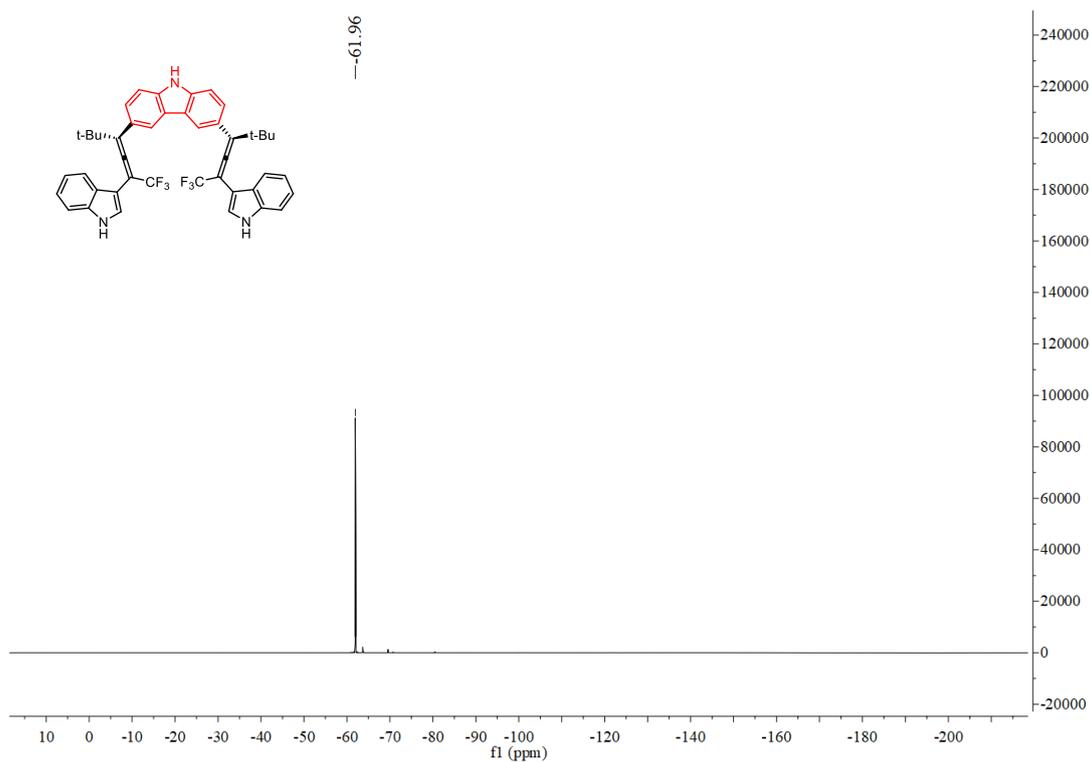
¹H NMR of compound 4a (in CDCl₃)



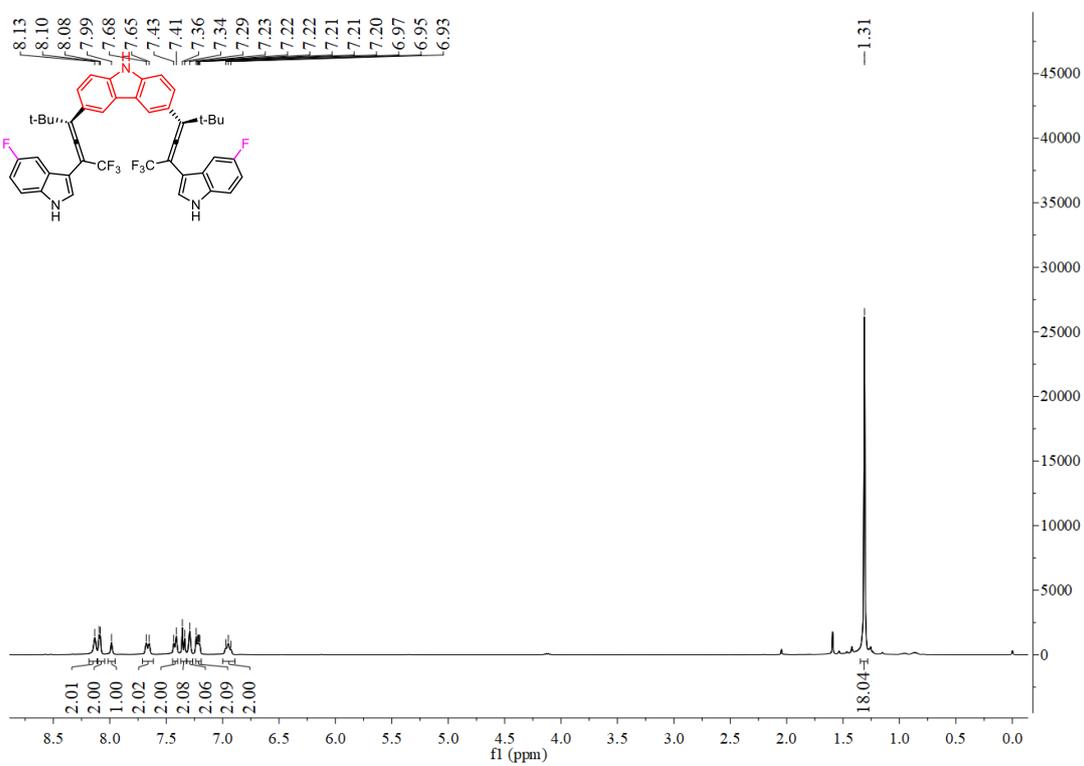
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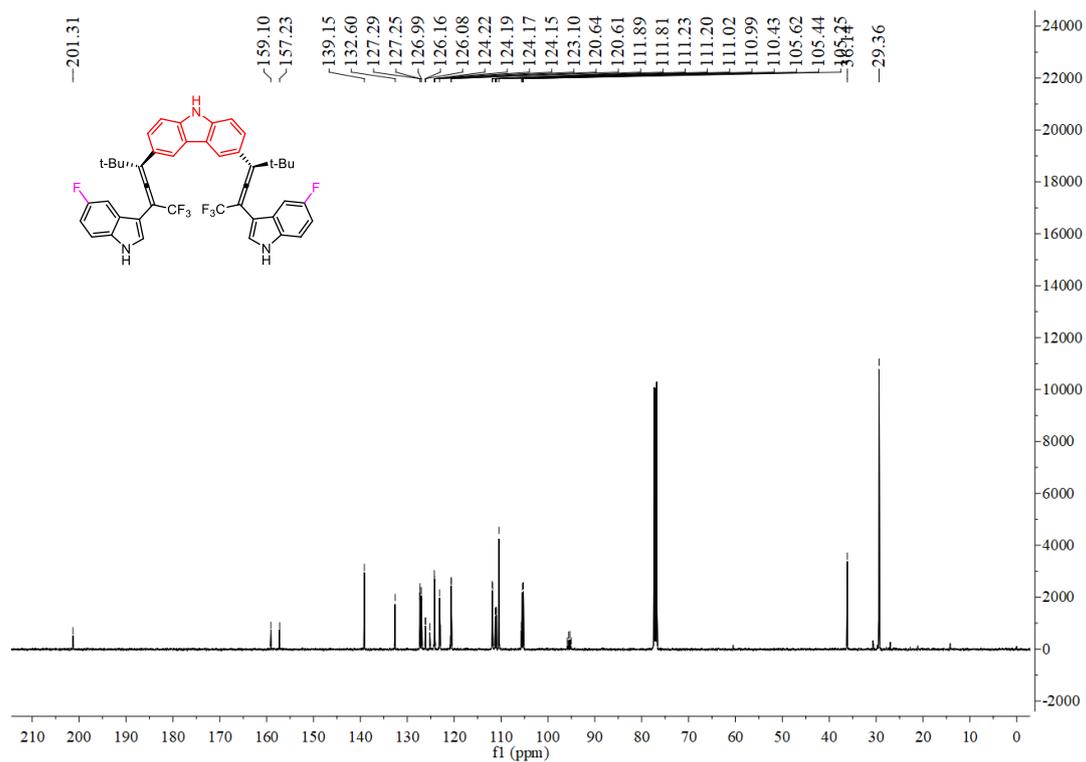
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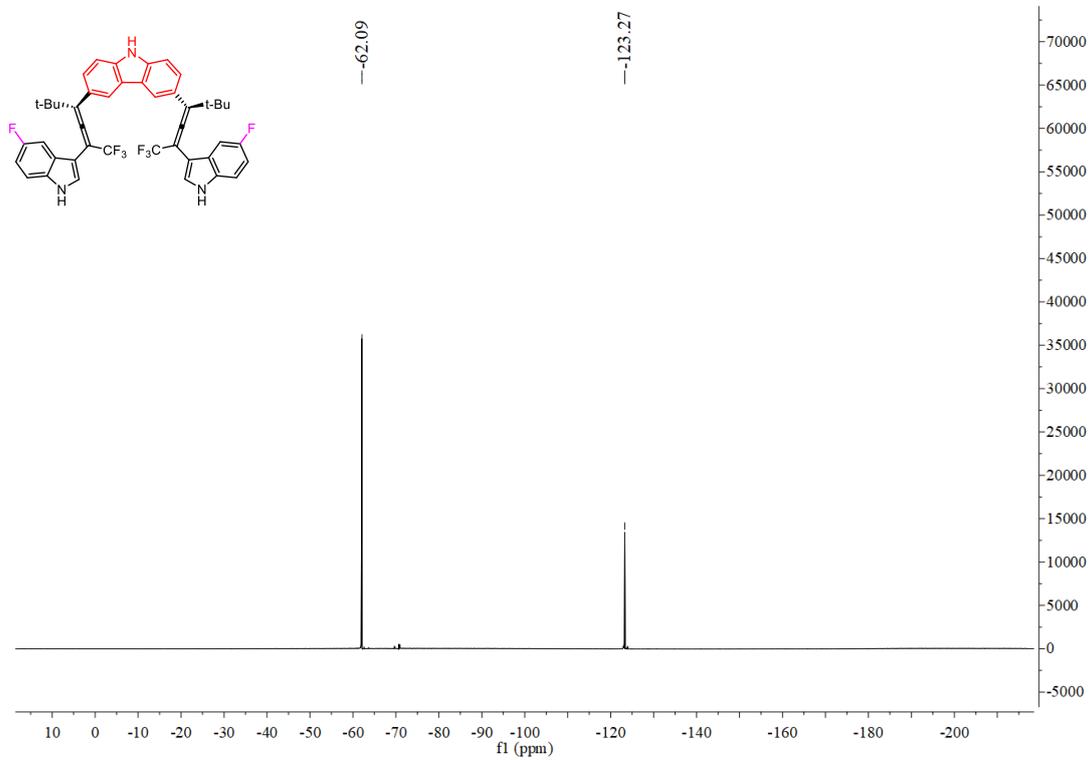
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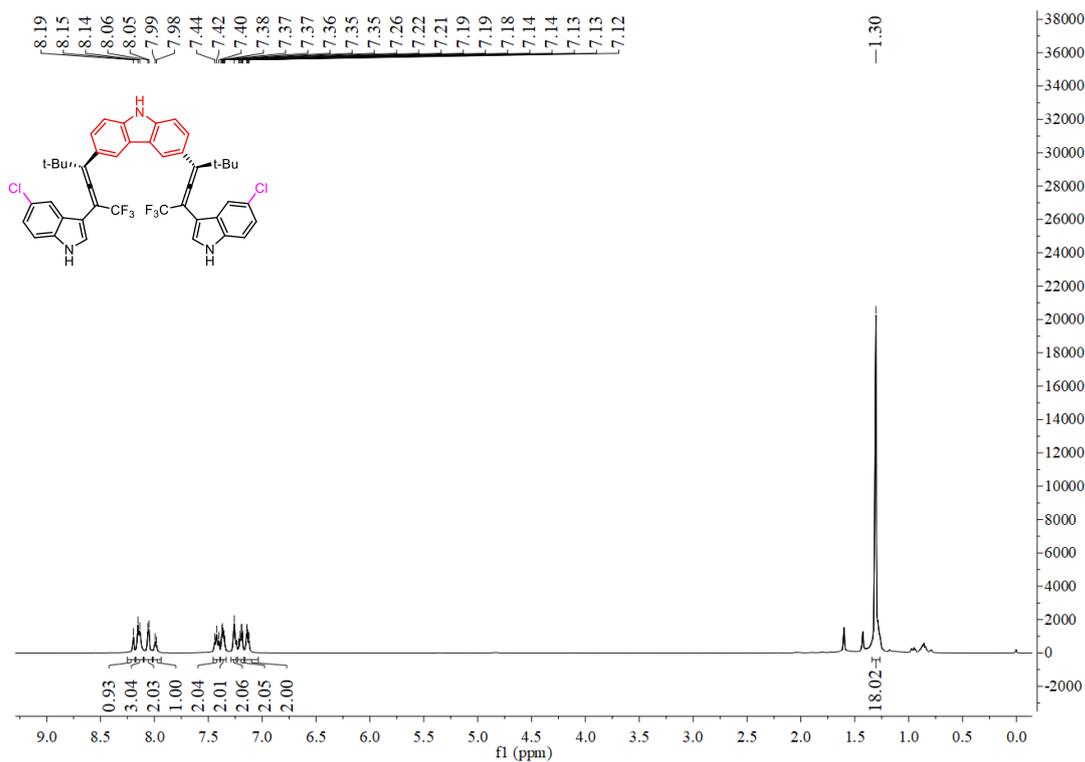
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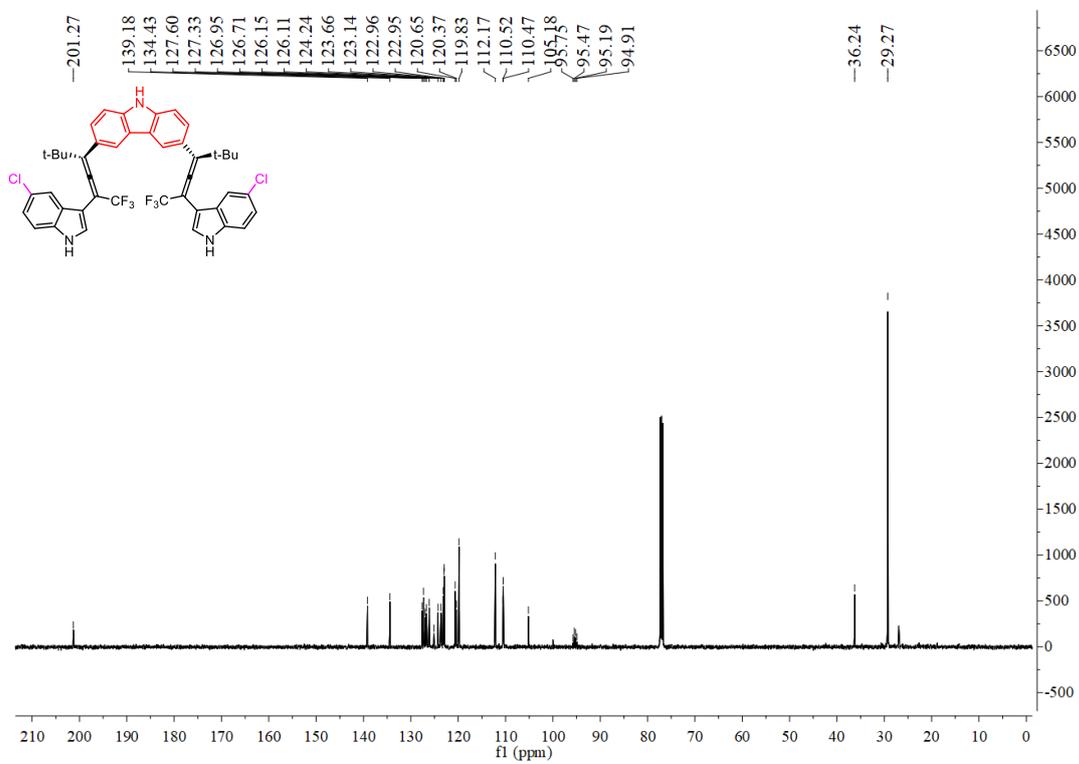
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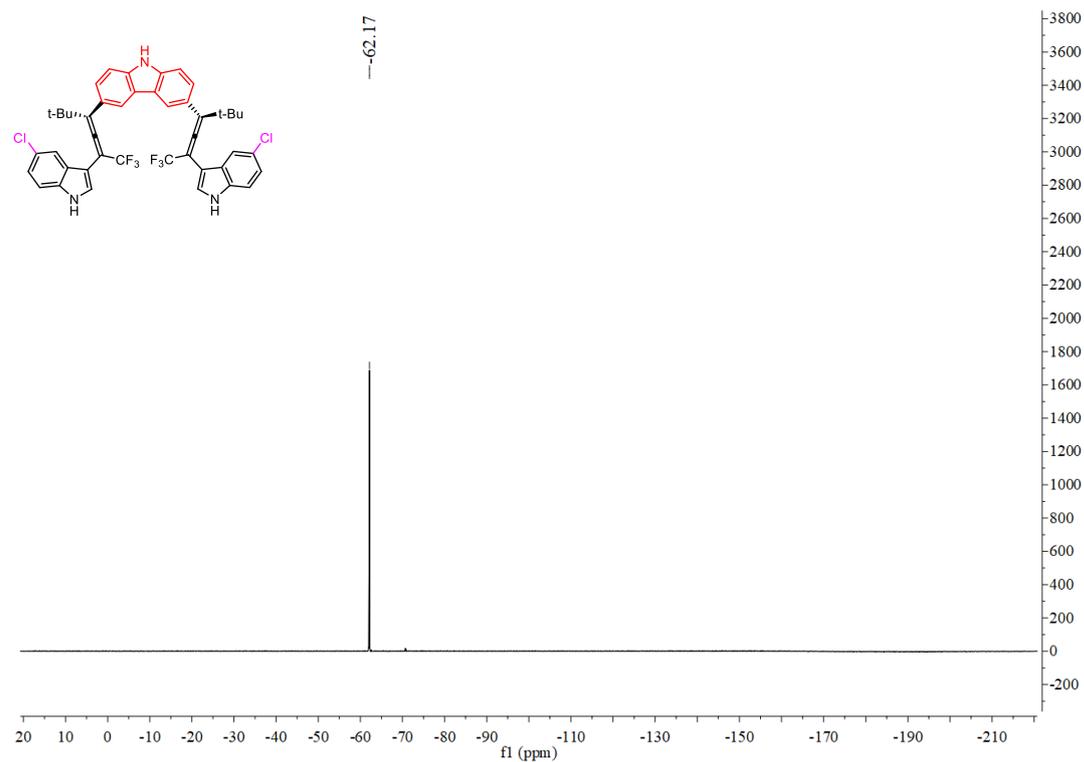
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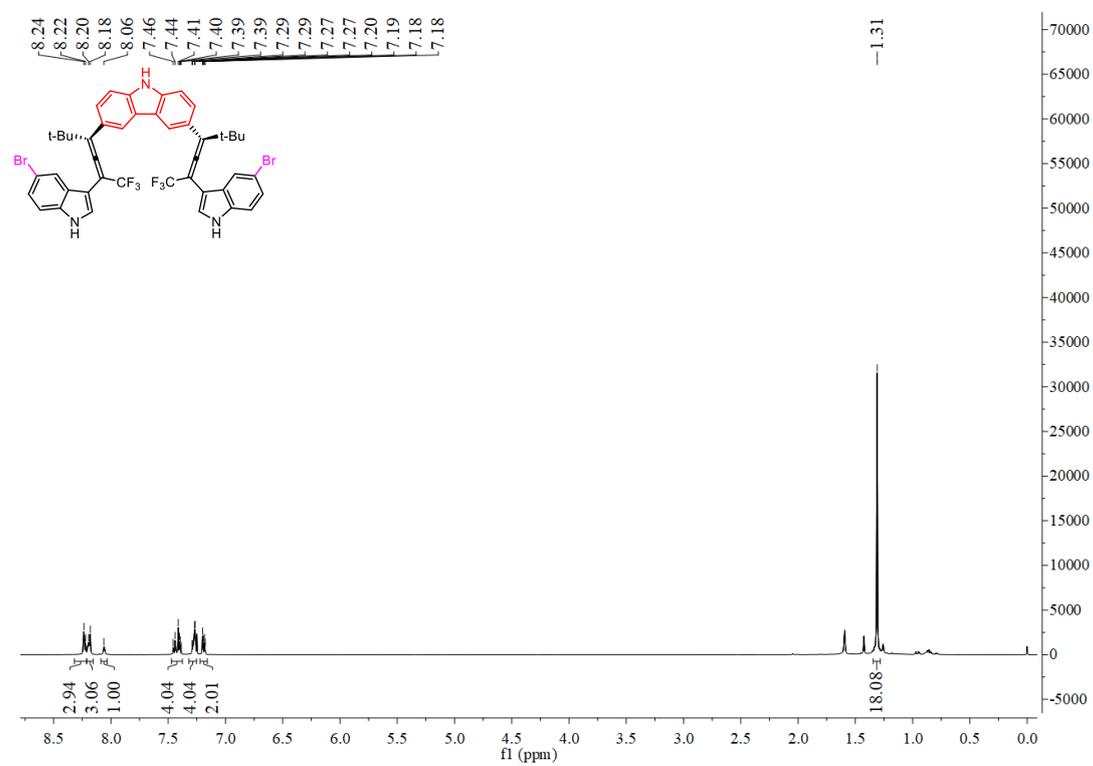
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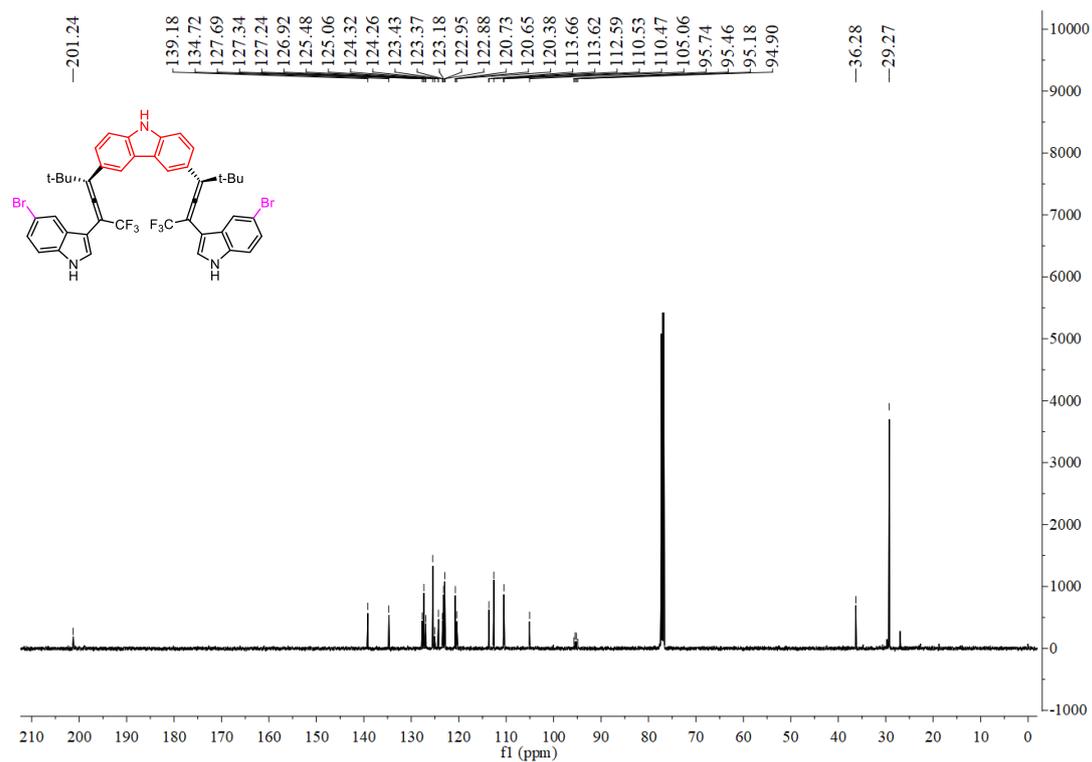
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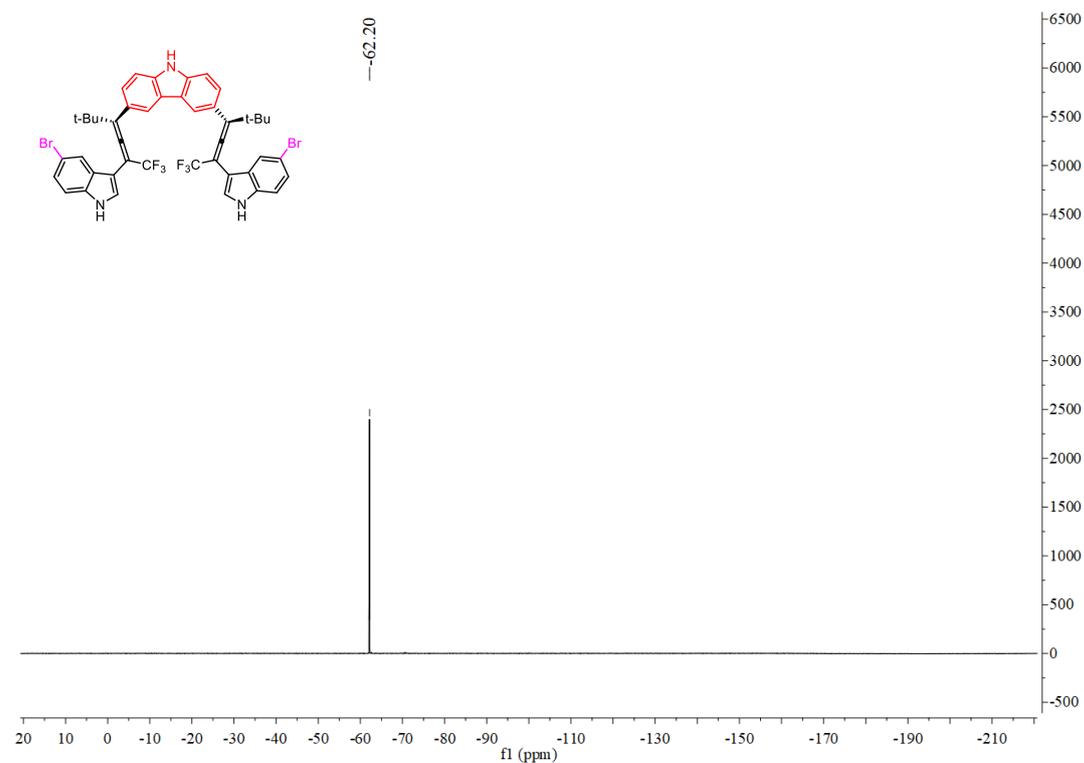
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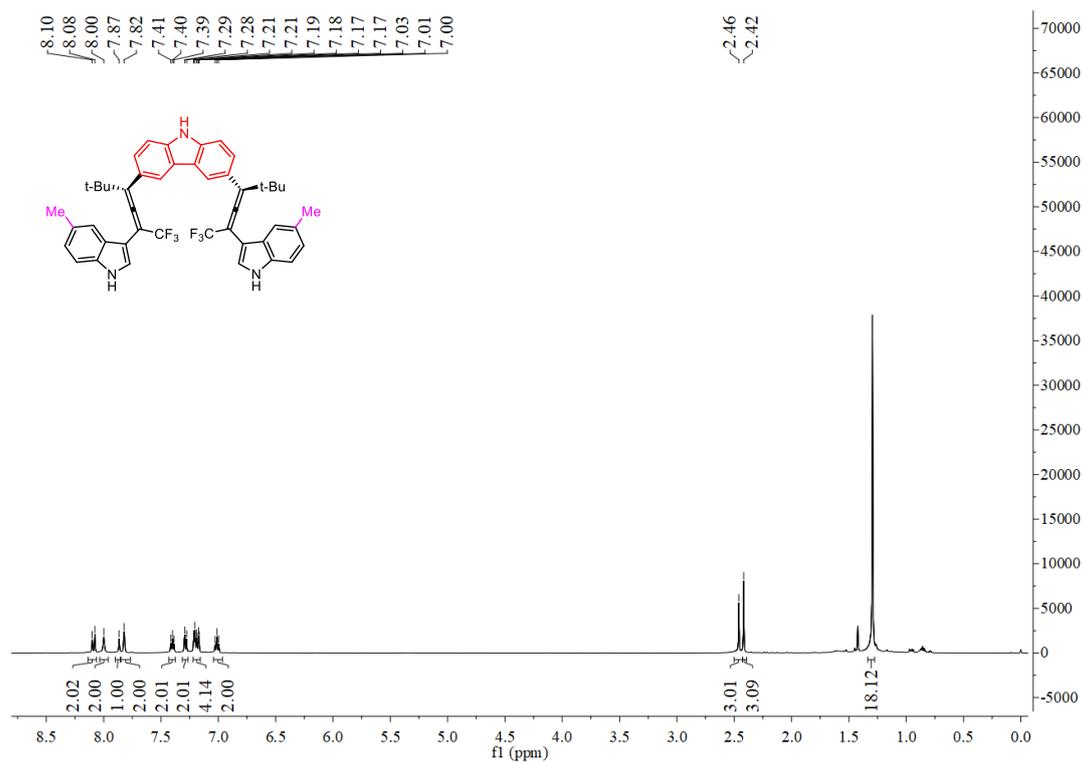
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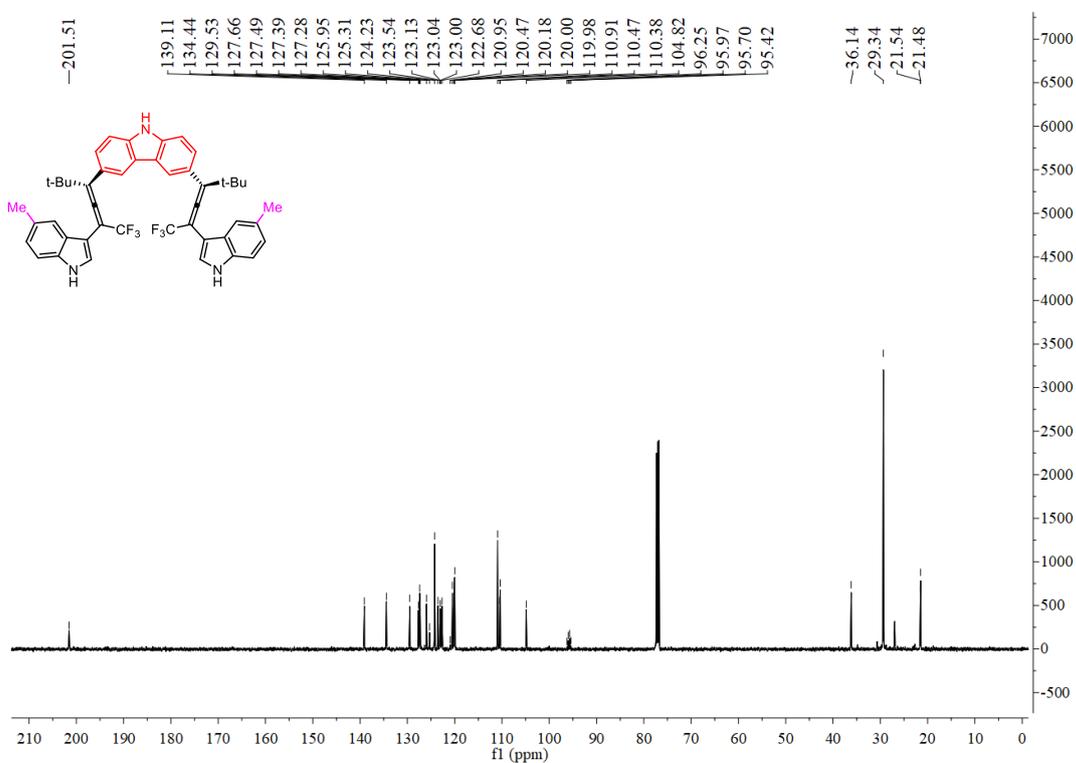
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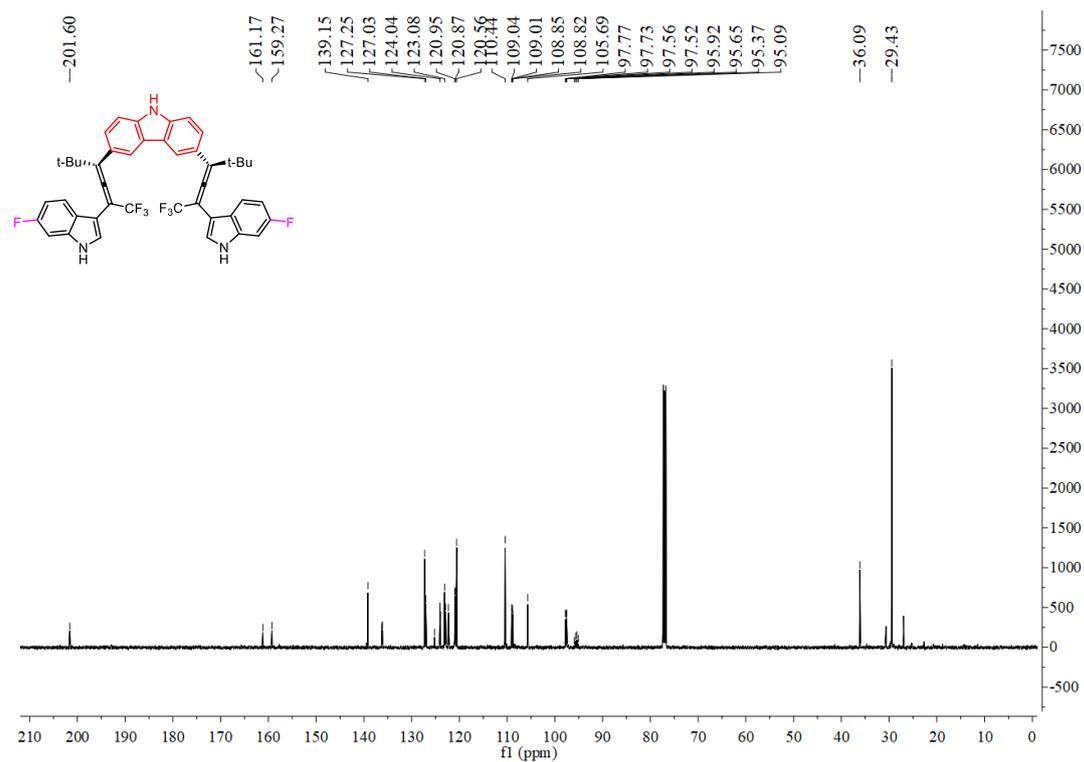
¹H NMR of compound 4e (in CDCl₃)



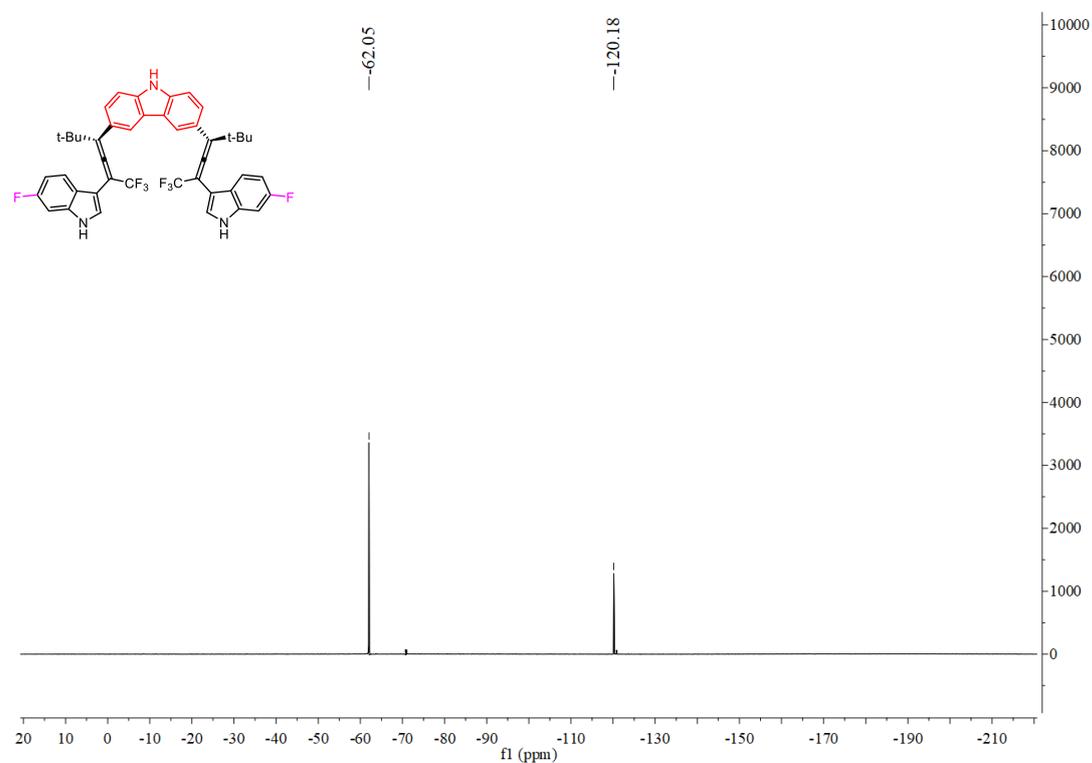
¹³C NMR of compound 4e (in CDCl₃)



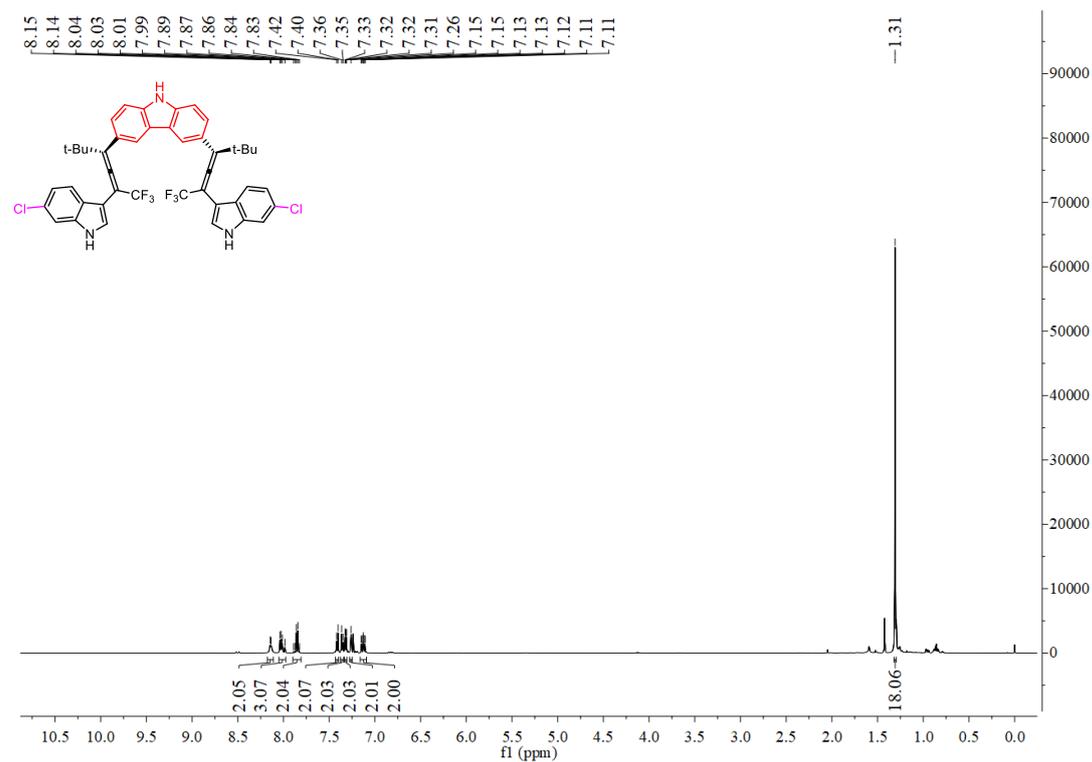
¹³C NMR of compound 4f (in CDCl₃)



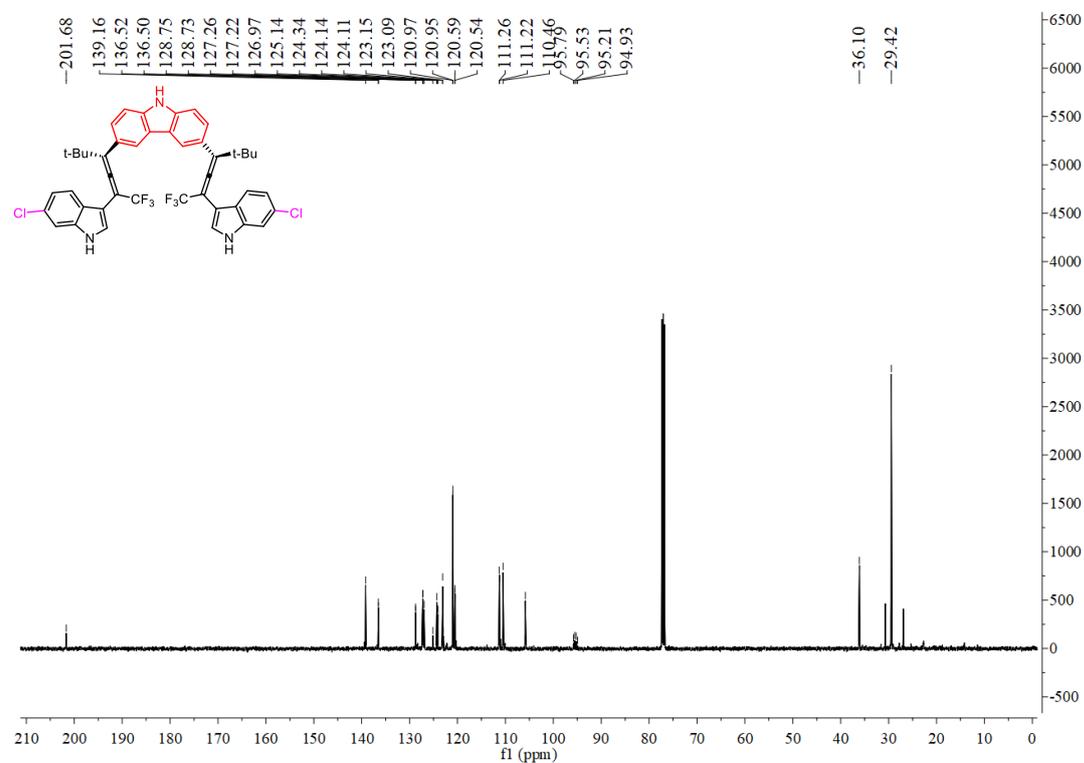
¹⁹F NMR of compound 4f (in CDCl₃)



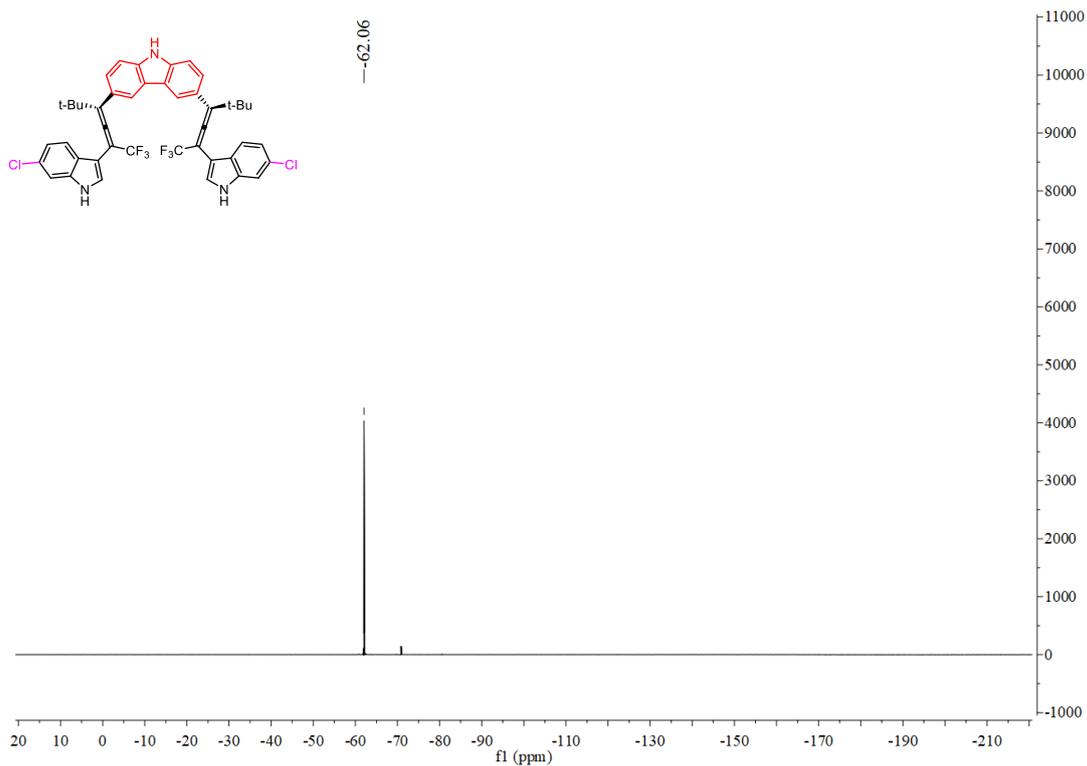
¹H NMR of compound 4g (in CDCl₃)



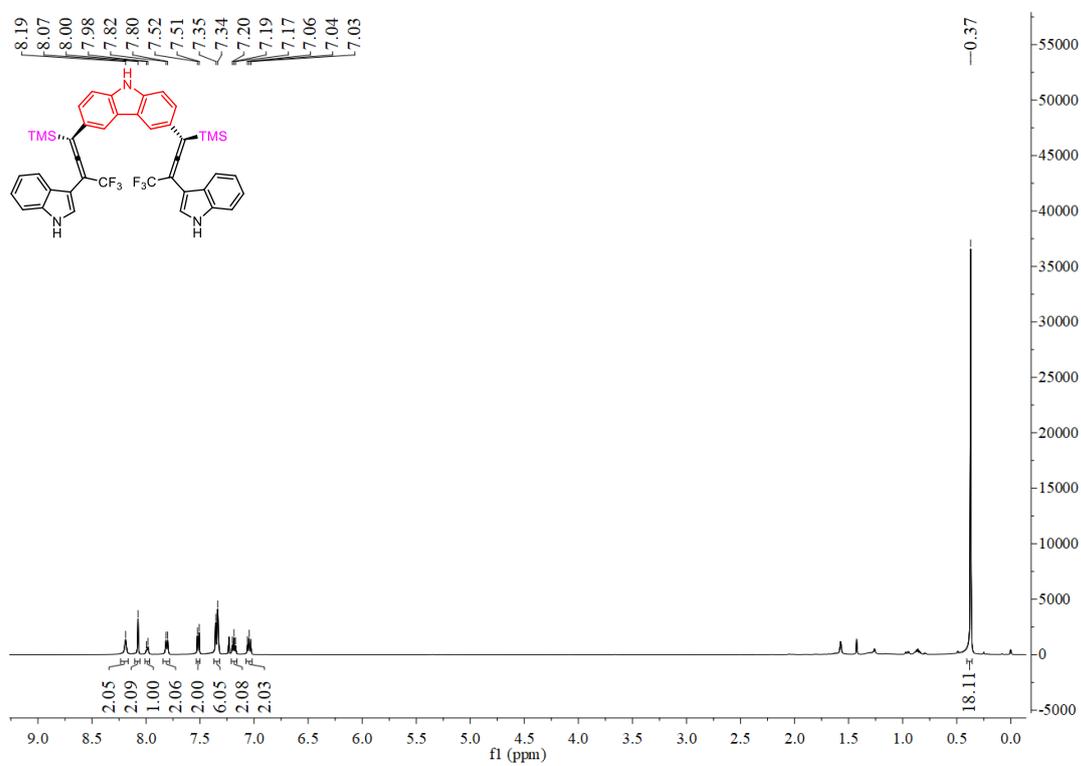
¹³C NMR of compound 4g (in CDCl₃)



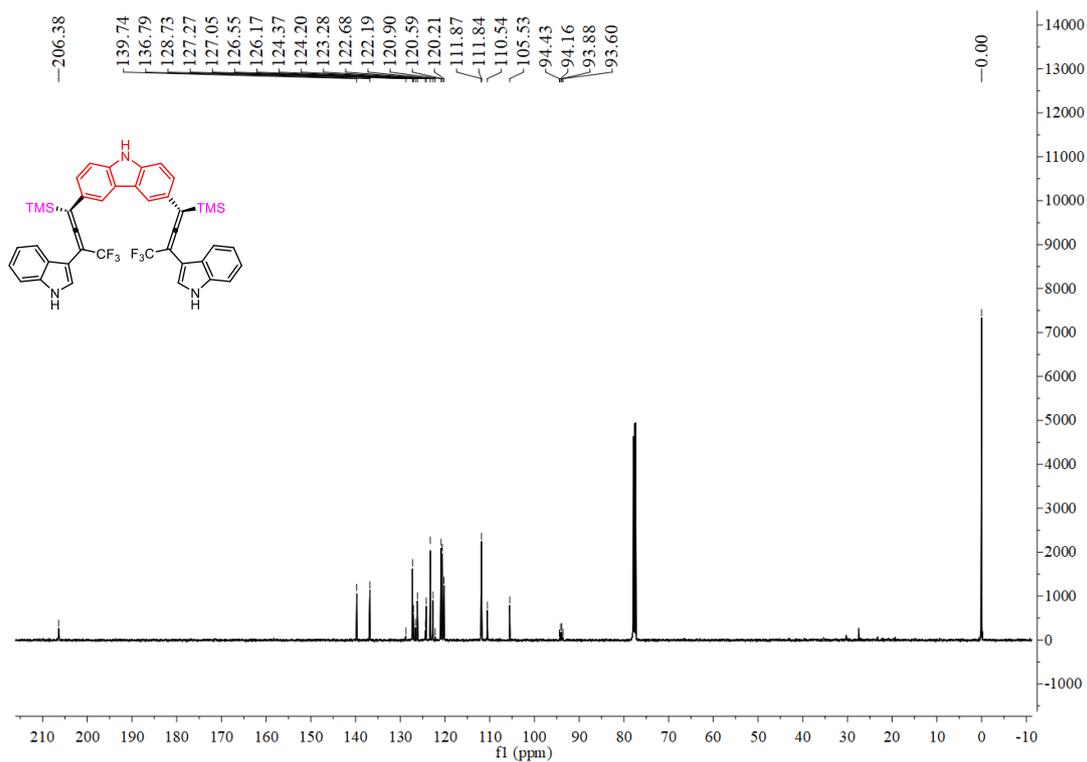
^{19}F NMR of compound 4g (in CDCl_3)



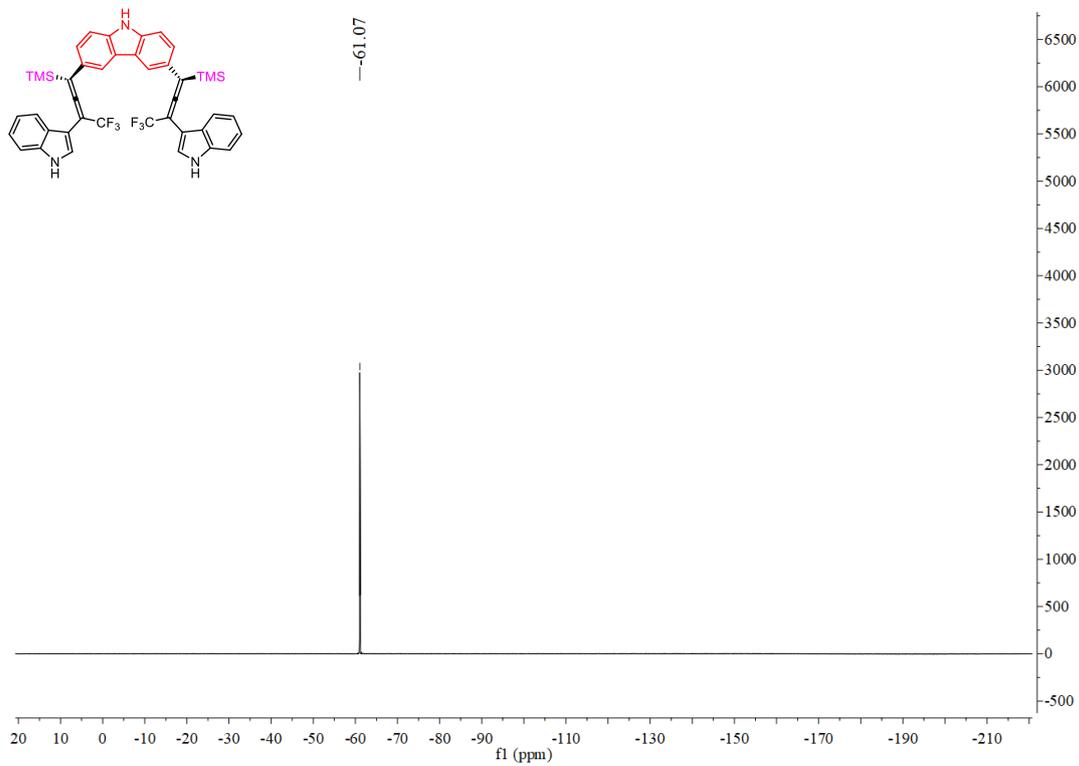
^1H NMR of compound 4h (in CDCl_3)



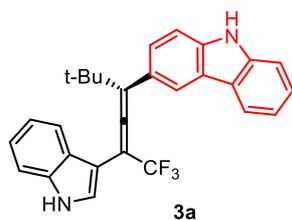
¹³C NMR of compound 4h (in CDCl₃)



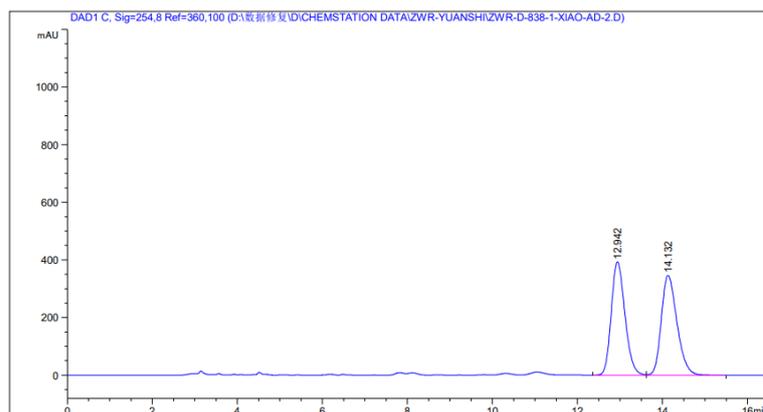
¹⁹F NMR of compound 4h (in CDCl₃)



6. Copies of HPLC Spectra



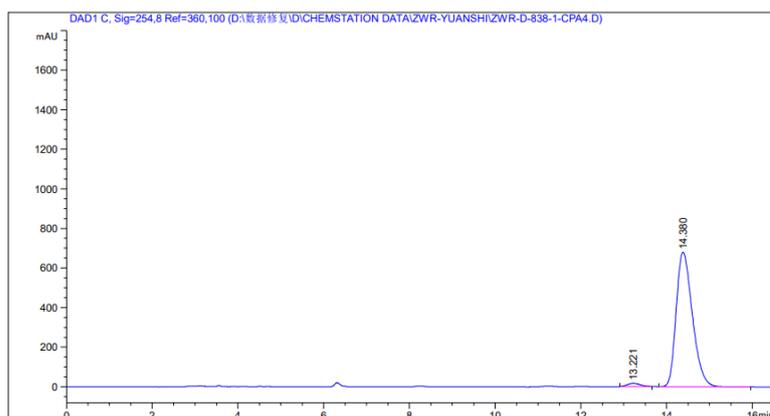
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

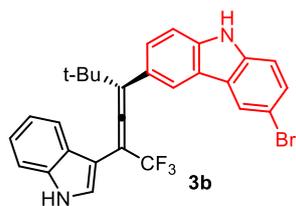
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.942	BV	0.3456	8812.09375	393.00650	49.8265
2	14.132	VB	0.3947	8873.46387	346.60831	50.1735

HPLC spectrum of the chiral compound

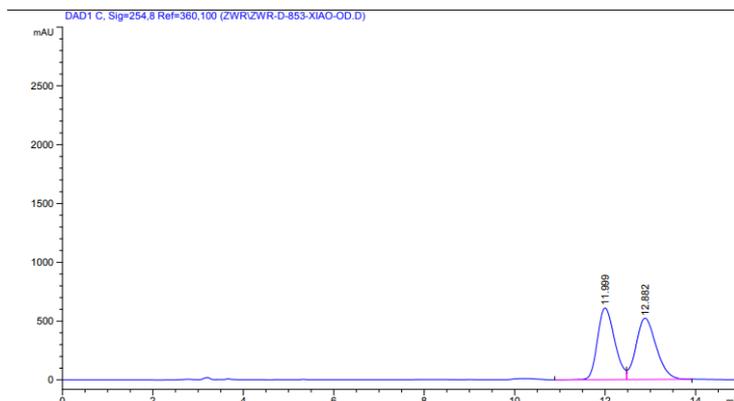


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.221	MM R	0.3488	333.81598	15.95286	1.8283
2	14.380	VB	0.4092	1.79240e4	680.68854	98.1717



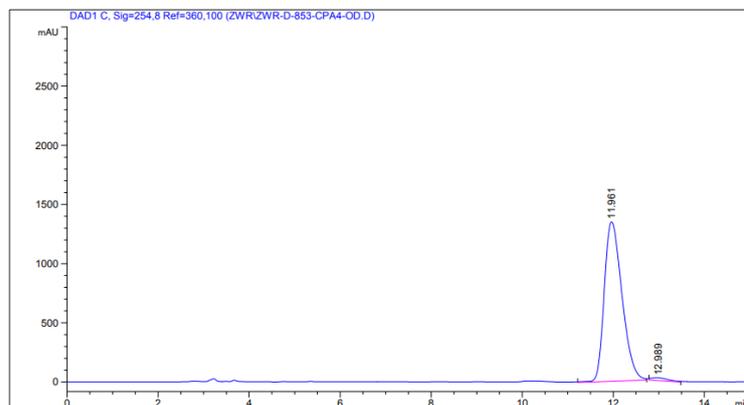
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

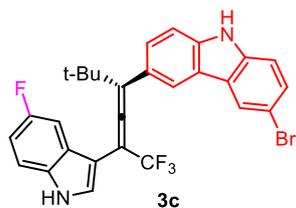
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.999	VV	0.4098	1.60640e4	608.97198	49.8082
2	12.882	VB	0.4786	1.61878e4	520.88019	50.1918

HPLC spectrum of the chiral compound

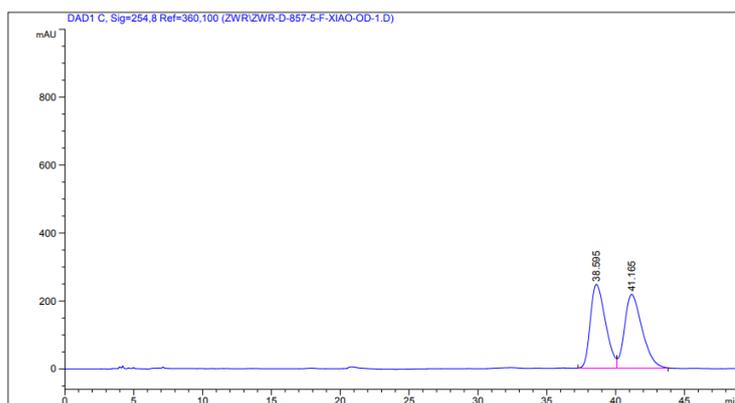


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.961	MM R	0.4509	3.64459e4	1347.26758	98.2530
2	12.989	MM R	0.4386	648.04114	24.62276	1.7470



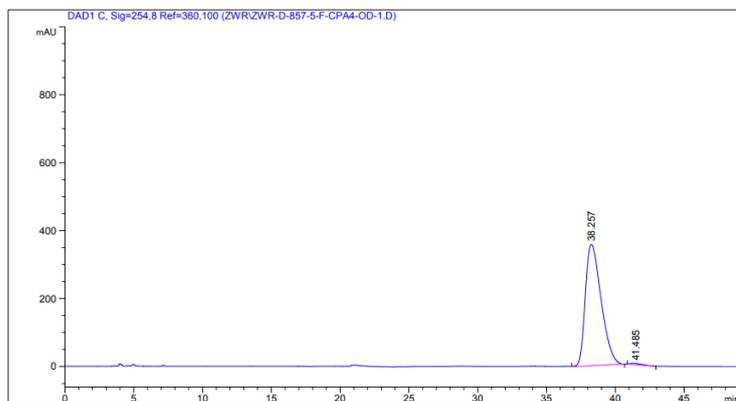
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

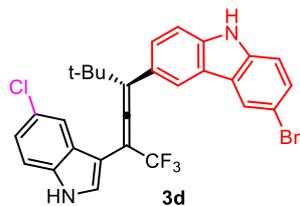
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	38.595	BV	1.1454	1.88478e4	246.67482	49.4795
2	41.165	VB	1.3129	1.92444e4	217.35912	50.5205

HPLC spectrum of the chiral compound

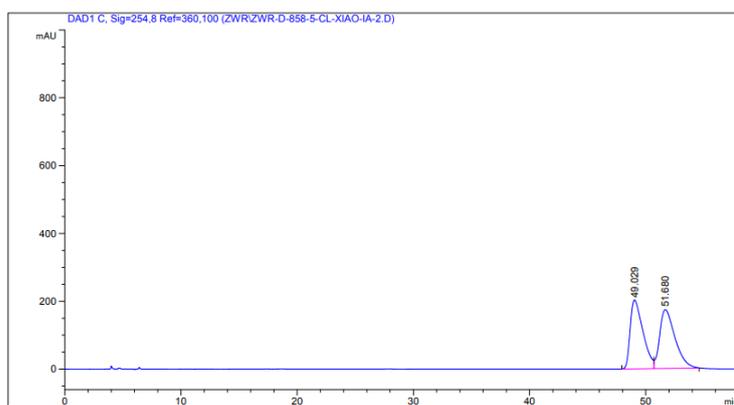


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	38.257	MM R	1.3282	2.84802e4	357.36899	99.0878
2	41.485	MM R	1.1030	262.19720	3.96171	0.9122



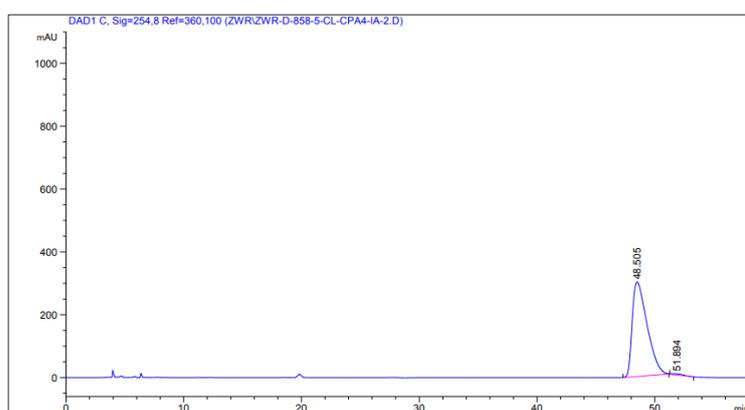
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

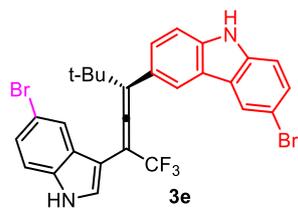
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	49.029	BV	1.1200	1.54787e4	202.89378	49.2560
2	51.680	VB	1.3105	1.59463e4	173.46844	50.7440

HPLC spectrum of the chiral compound

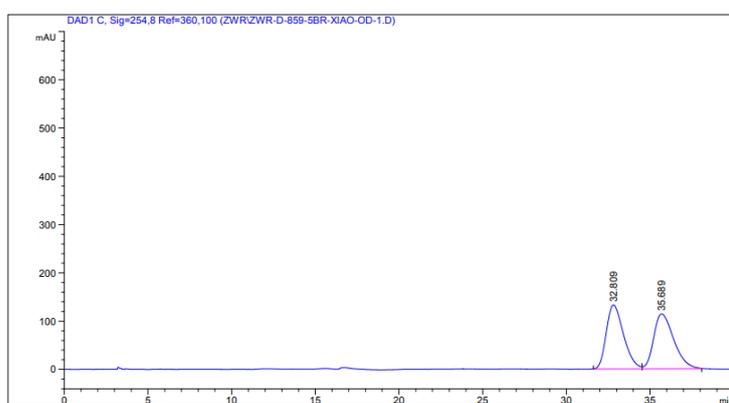


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	48.505	BB	1.2850	2.63089e4	300.63162	99.0418
2	51.894	MM R	1.0283	254.52119	4.12535	0.9582



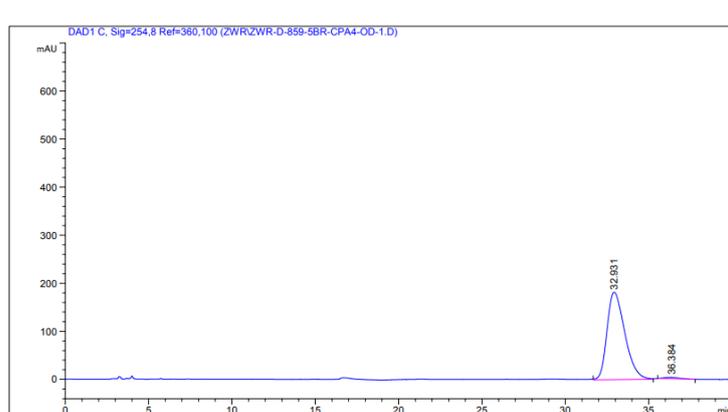
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

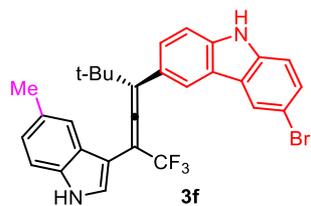
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	32.809	BV	1.0650	9529.45801	132.83583	50.0625
2	35.689	VB	1.1884	9505.66797	113.81646	49.9375

HPLC spectrum of the chiral compound

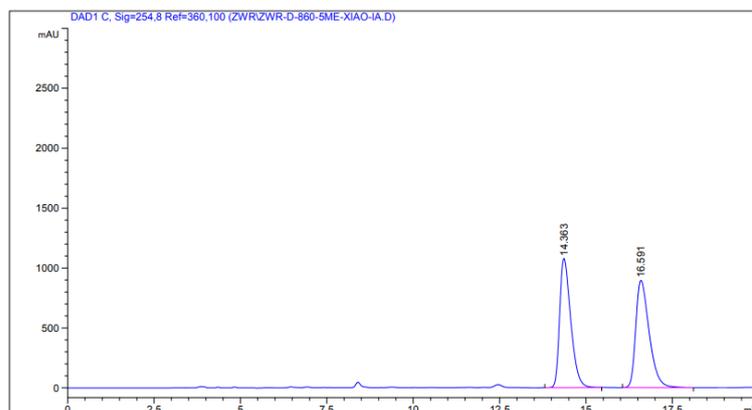


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	32.931	MM R	1.2382	1.35373e4	182.22353	98.5806
2	36.384	MM R	1.0832	194.91681	2.99910	1.4194



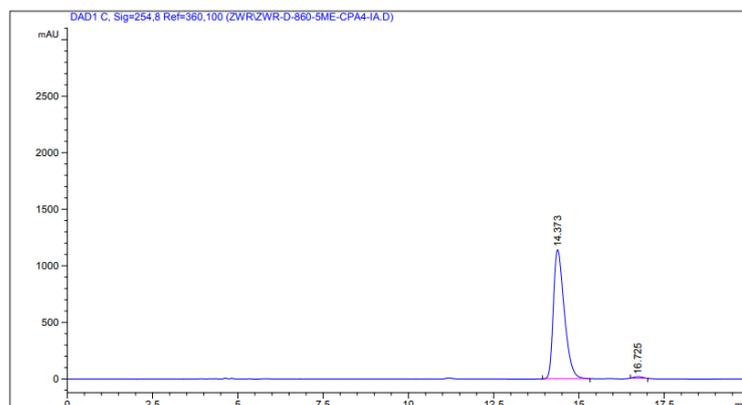
HPLC spectrum of the racemate



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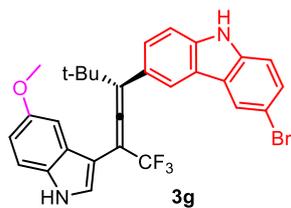
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.363	BB	0.3427	2.40998e4	1078.41626	49.9469
2	16.591	BB	0.4130	2.41510e4	894.60962	50.0531

HPLC spectrum of the chiral compound

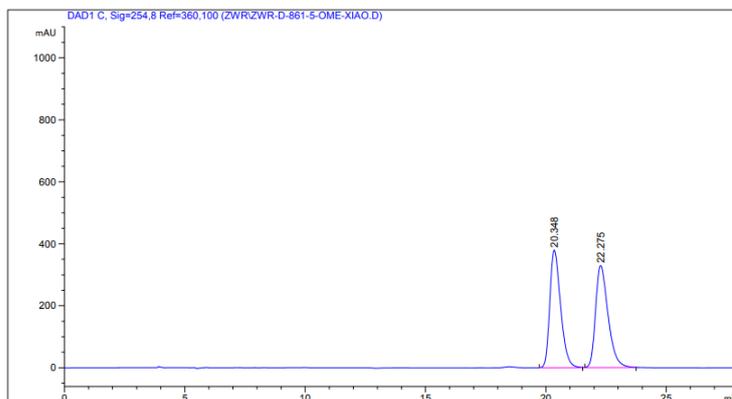


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.373	MM R	0.3691	2.52512e4	1140.28369	99.0677
2	16.725	MM R	0.2959	237.63226	13.38688	0.9323



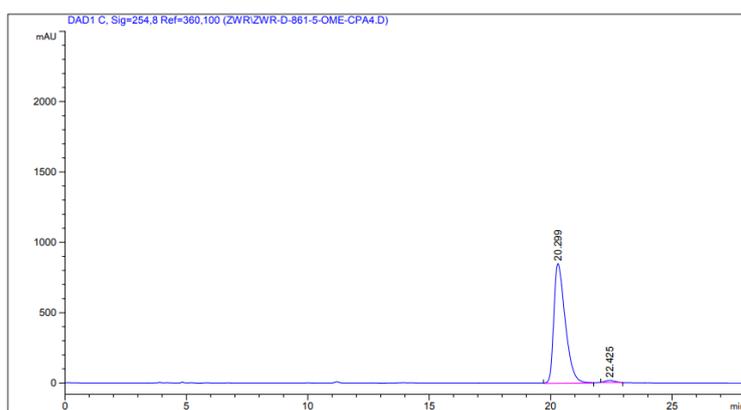
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

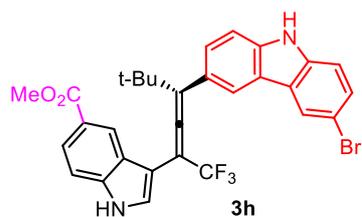
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.348	BB	0.4794	1.18230e4	379.60922	49.8707
2	22.275	BB	0.5454	1.18843e4	328.99619	50.1293

HPLC spectrum of the chiral compound

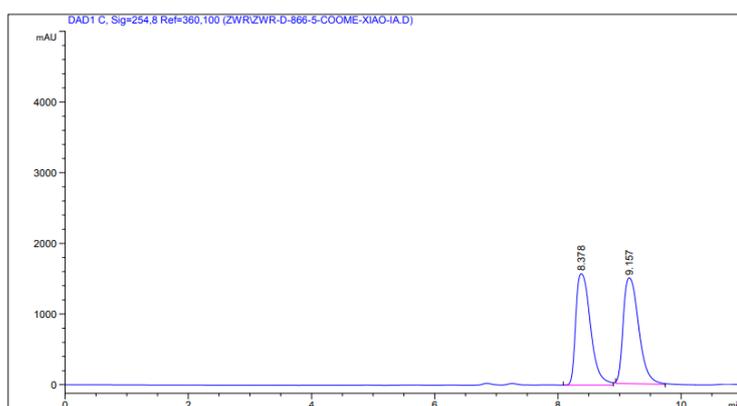


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.299	MM R	0.5442	2.77864e4	850.96411	98.4976
2	22.425	MM R	0.4801	423.82187	14.71247	1.5024



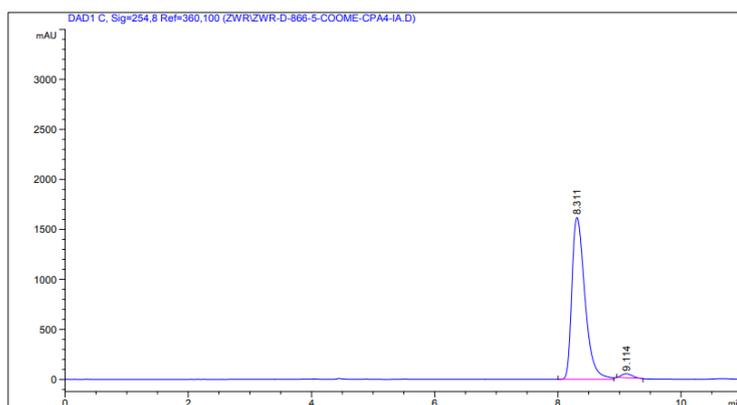
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

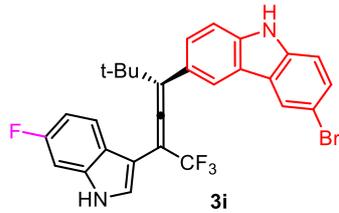
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.378	VV	0.2603	2.62547e4	1576.38037	50.1124
2	9.157	MM R	0.2909	2.61368e4	1497.67249	49.8876

HPLC spectrum of the chiral compound

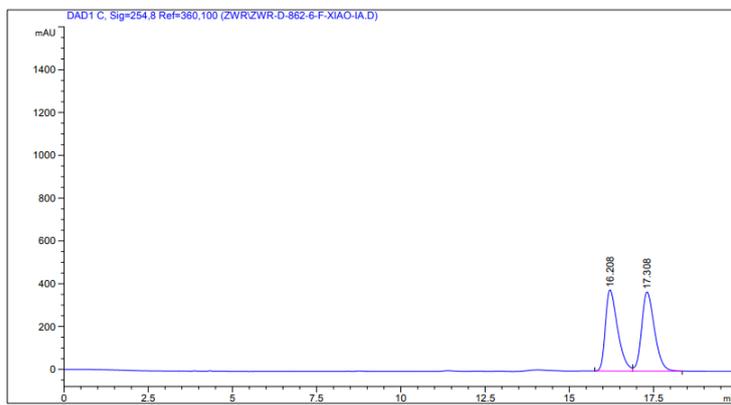


信号 1: DAD1 C, Sig=254,8 Ref=360,100

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1	8.311	VV	0.2278	2.38431e4	1618.93738	98.0719
2	9.114	MM R	0.1944	468.75986	40.18604	1.9281



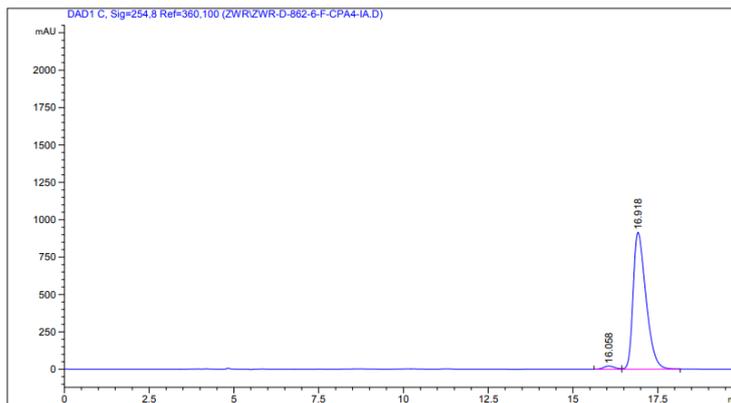
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

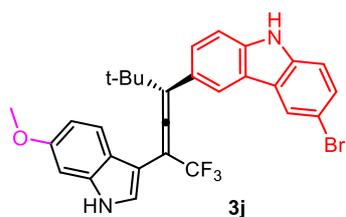
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.208	BV	0.3827	9582.15039	379.40057	49.3036
2	17.308	VB	0.4066	9852.85937	370.10504	50.6964

HPLC spectrum of the chiral compound

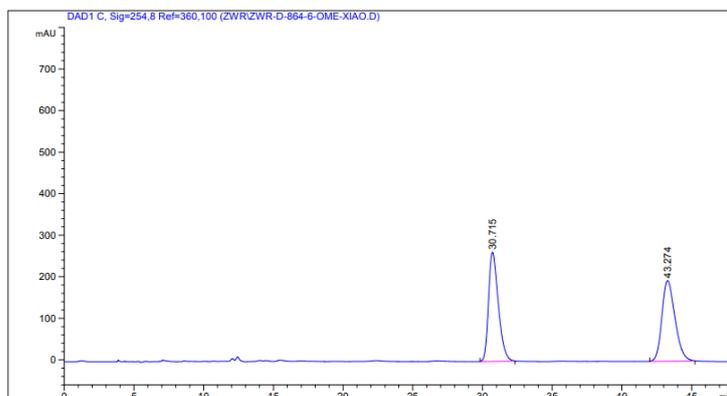


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.058	BV	0.3587	486.02682	21.10273	1.9785
2	16.918	VB	0.3990	2.40799e4	915.10559	98.0215



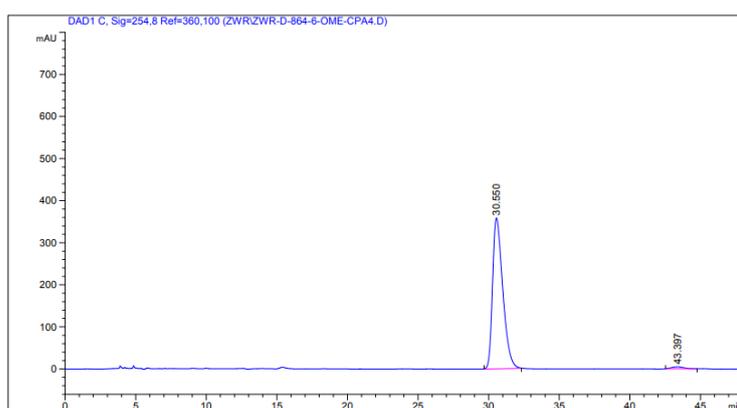
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

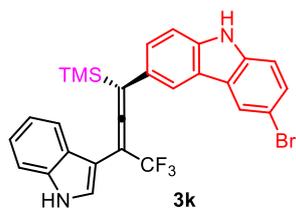
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1	30.715	BB	0.7448	1.28154e4	262.85577	50.2112
2	43.274	BB	0.9914	1.27076e4	194.21240	49.7888

HPLC spectrum of the chiral compound

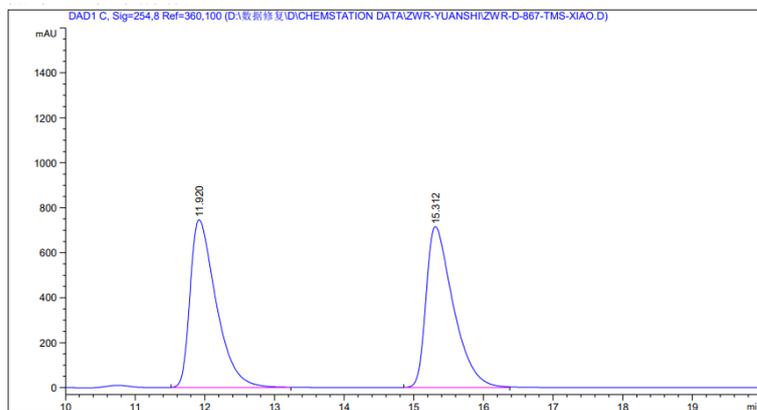


信号 1: DAD1 C, Sig=254,8 Ref=360,100

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1	30.550	BB	0.7683	1.80154e4	358.45901	98.5106
2	43.397	BB	0.7091	272.37381	4.55832	1.4894



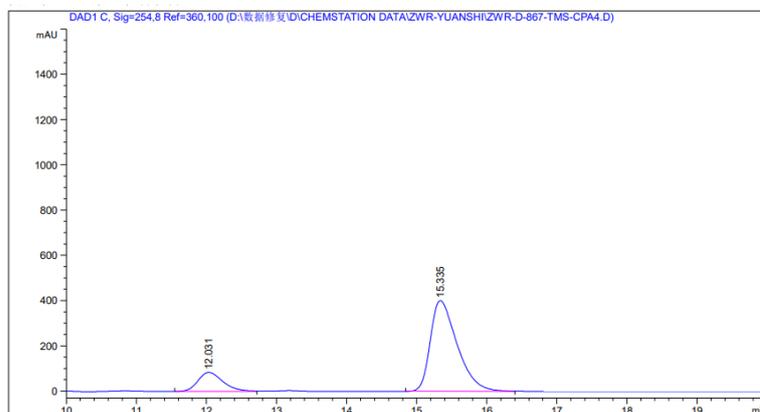
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

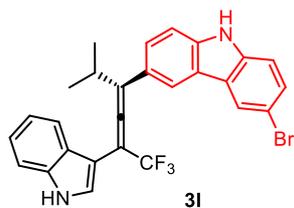
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.920	MM R	0.4338	1.94249e4	746.30029	49.9832
2	15.312	MM R	0.4525	1.94379e4	716.00061	50.0168

HPLC spectrum of the chiral compound

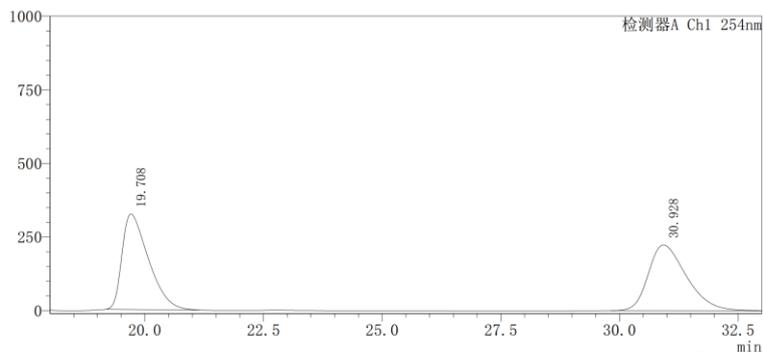


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.031	MM R	0.4163	2096.77881	83.94184	16.4953
2	15.335	MM R	0.4420	1.06146e4	400.24509	83.5047



HPLC spectrum of the racemate

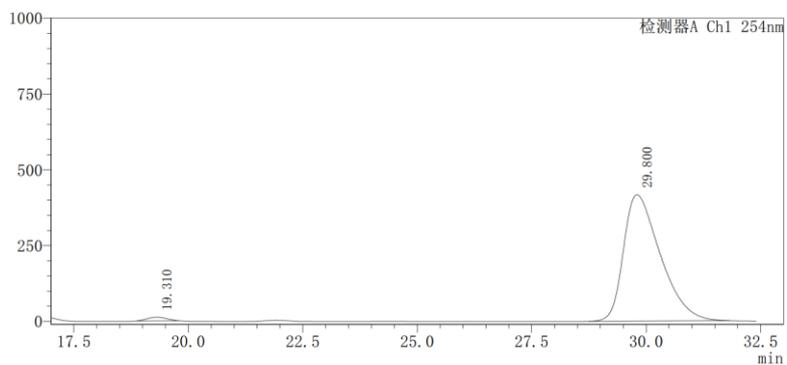


<峰表>

检测器A Ch1 254nm

峰号	保留时间	峰宽(高度 5%)	高度	面积	面积%
1	19.708	1.310	324112	12576595	50.151
2	30.928	1.907	223134	12501043	49.849
总计			547246	25077638	100.000

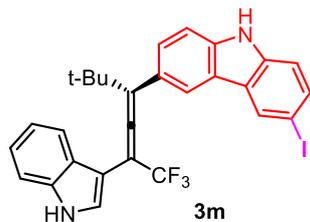
HPLC spectrum of the chiral compound



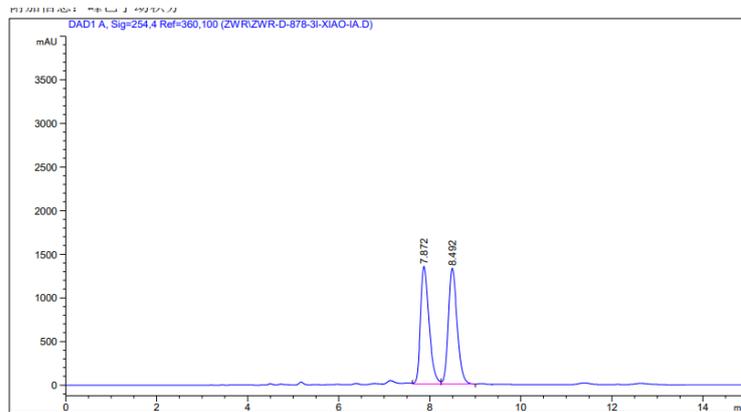
<峰表>

检测器A Ch1 254nm

峰号	保留时间	峰宽(高度 5%)	高度	面积	面积%
1	19.310	0.862	12292	360944	1.524
2	29.800	1.914	416739	23328632	98.476
总计			429031	23689576	100.000



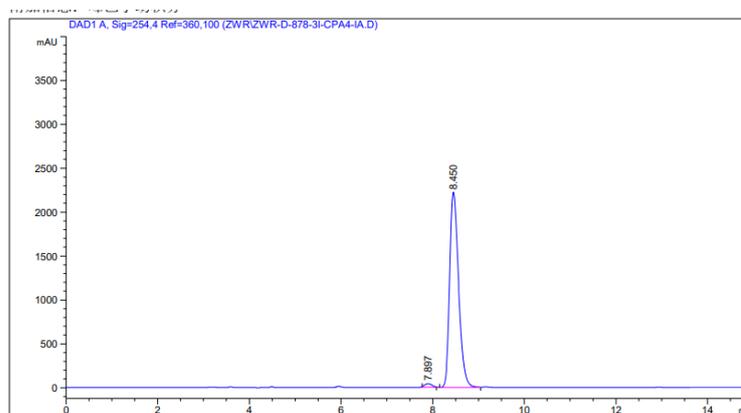
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

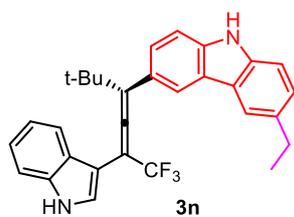
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.872	VV	0.2008	1.76345e4	1346.56885	49.8060
2	8.492	VB	0.2064	1.77719e4	1325.96631	50.1940

HPLC spectrum of the chiral compound

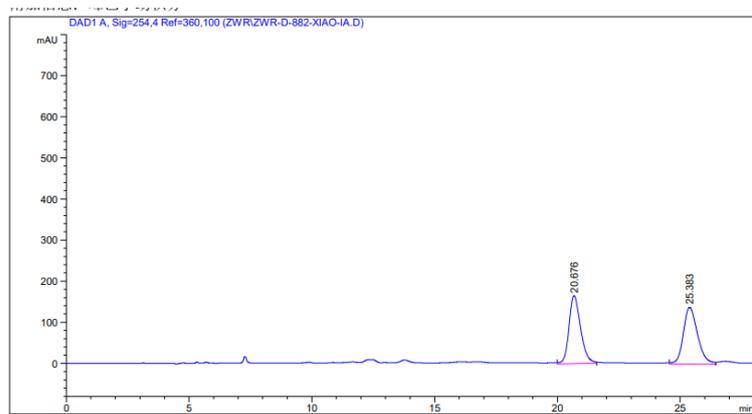


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.897	MM R	0.1775	420.19537	39.45456	1.3747
2	8.450	VV	0.2082	3.01468e4	2222.71826	98.6253



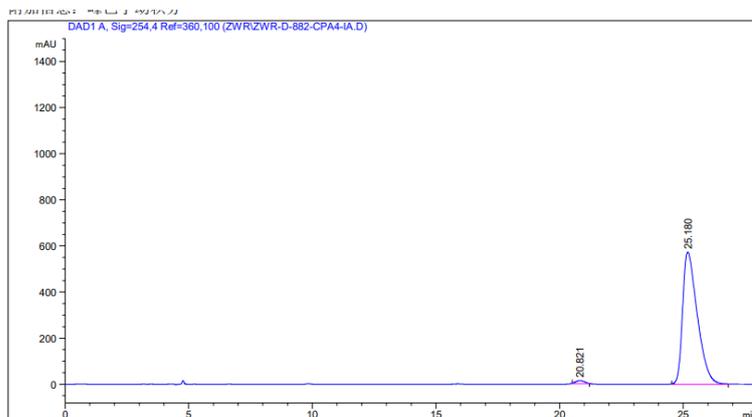
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

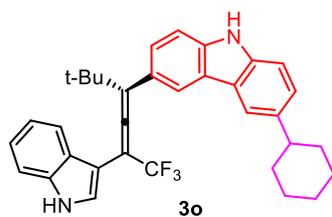
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.676	MM R	0.5499	5472.65967	165.87543	49.6199
2	25.383	MM R	0.6710	5556.50293	138.02361	50.3801

HPLC spectrum of the chiral compound

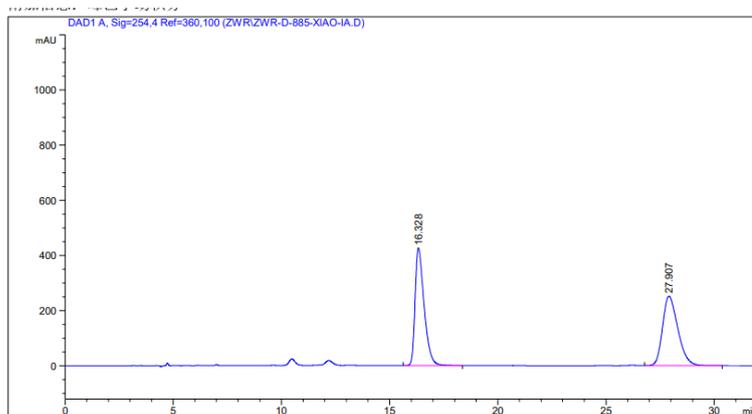


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.821	MM R	0.4232	338.06870	13.31328	1.4031
2	25.180	MM R	0.6893	2.37562e4	574.42432	98.5969



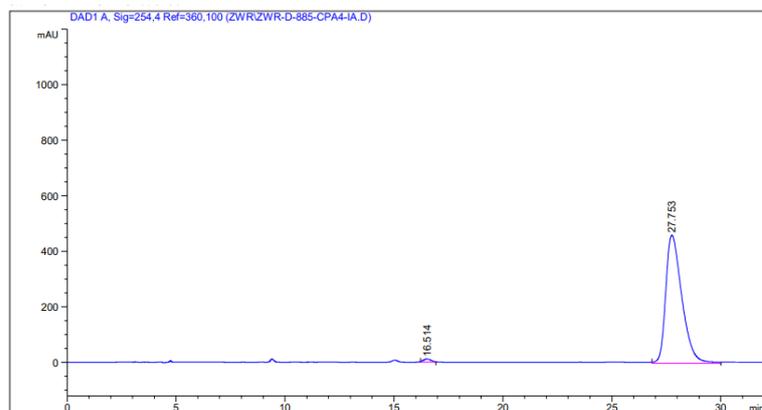
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

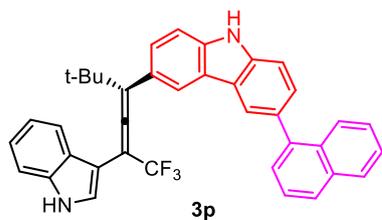
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.328	BB	0.4386	1.23816e4	426.67072	50.0904
2	27.907	BB	0.7518	1.23370e4	251.71133	49.9096

HPLC spectrum of the chiral compound

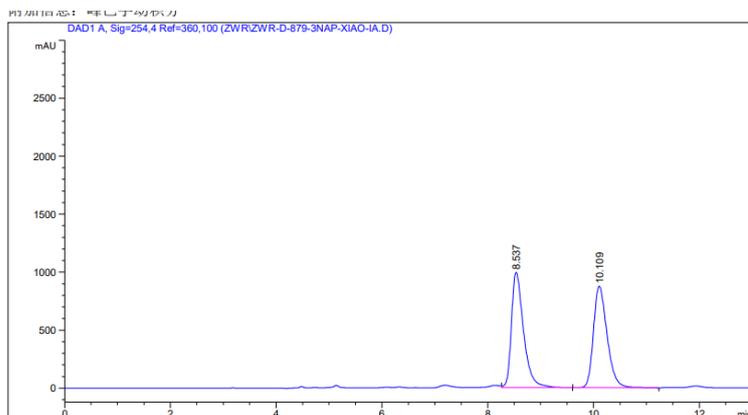


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.514	MM R	0.3924	249.61403	10.60168	1.0416
2	27.753	MM R	0.8586	2.37150e4	460.33917	98.9584



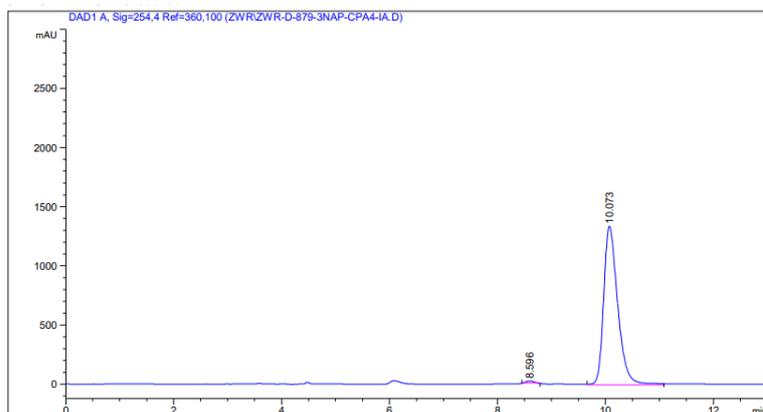
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

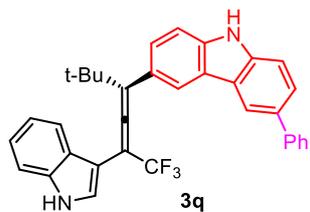
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.537	VB	0.2398	1.58464e4	994.77441	50.3091
2	10.109	BB	0.2747	1.56517e4	875.00128	49.6909

HPLC spectrum of the chiral compound

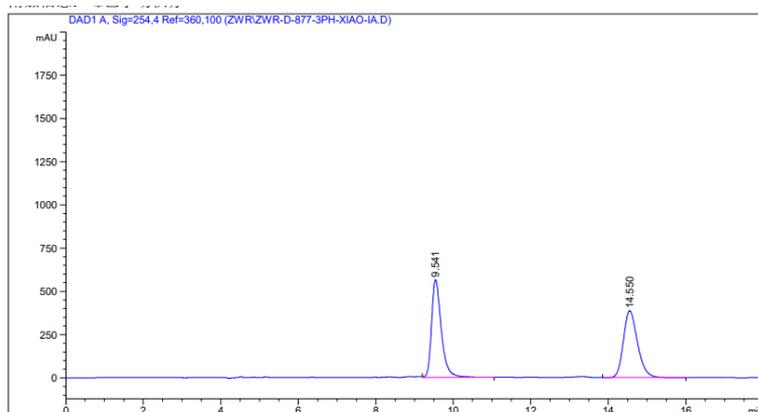


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.596	MM R	0.1588	150.95763	15.84741	0.6174
2	10.073	MM R	0.3023	2.43015e4	1339.77380	99.3826



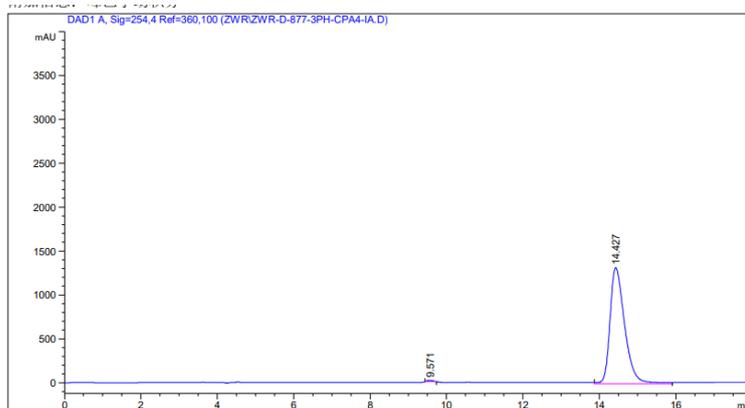
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

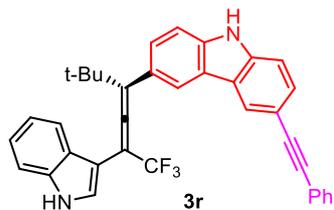
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.541	VB	0.2640	9890.67578	565.68921	50.1197
2	14.550	BB	0.3912	9843.43359	386.40570	49.8803

HPLC spectrum of the chiral compound

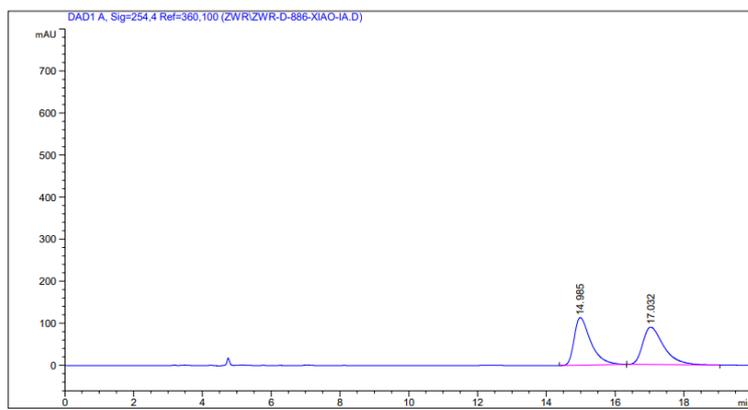


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.571	MM R	0.1752	170.90913	16.25991	0.4787
2	14.427	MM R	0.4480	3.55310e4	1321.89075	99.5213



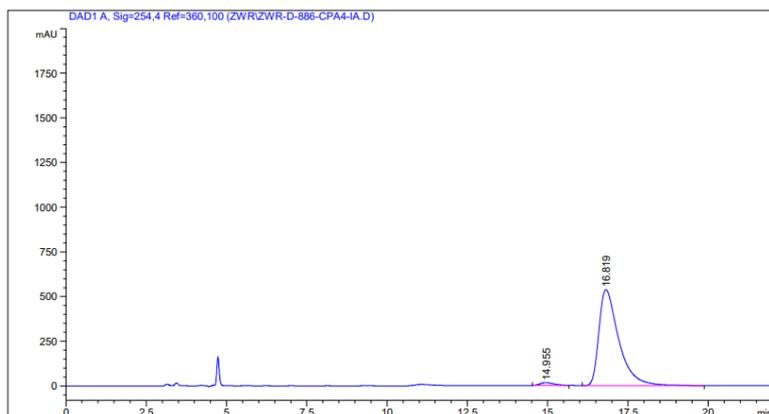
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

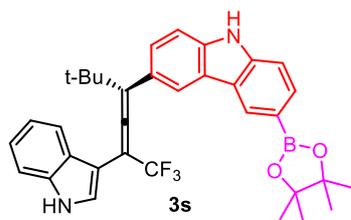
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.985	BB	0.5001	3780.68457	113.07634	50.2108
2	17.032	BB	0.6338	3748.94336	88.93529	49.7892

HPLC spectrum of the chiral compound

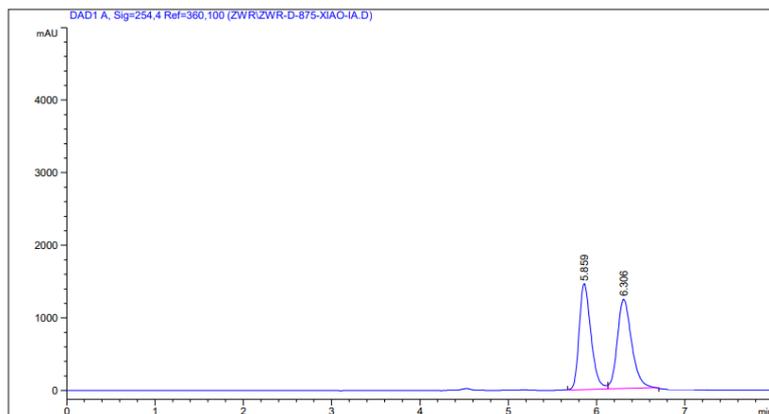


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.955	MM R	0.5076	464.95920	15.26558	1.9757
2	16.819	BB	0.6386	2.30685e4	537.56885	98.0243



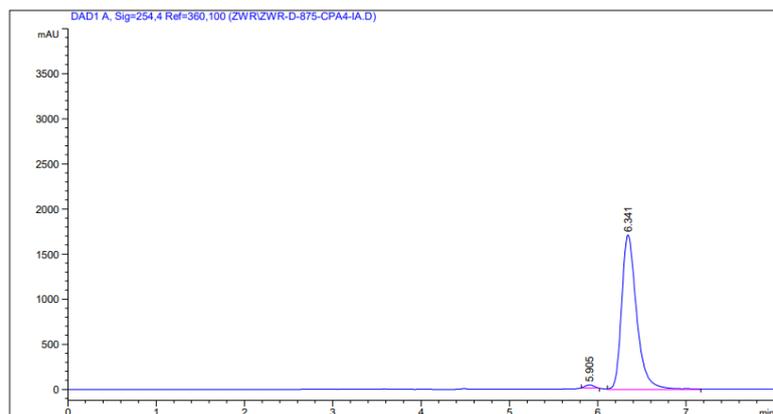
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

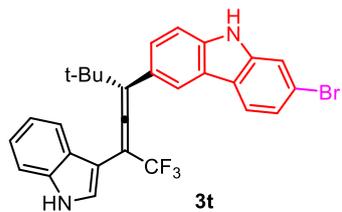
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.859	MF R	0.1548	1.35541e4	1459.22009	49.5221
2	6.306	FM R	0.1873	1.38157e4	1229.54956	50.4779

HPLC spectrum of the chiral compound

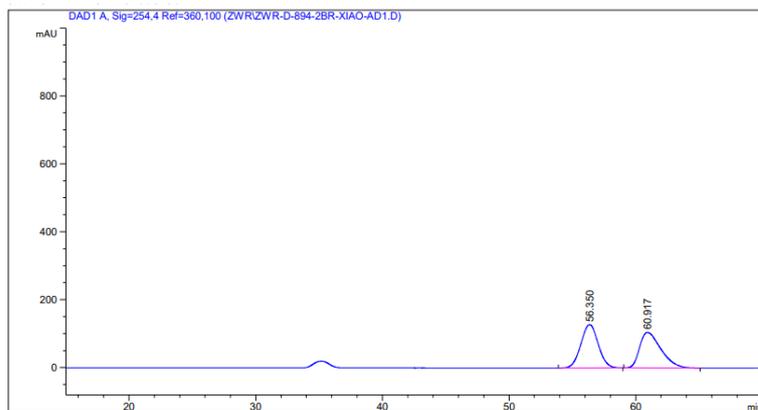


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.905	MM R	0.1049	189.95857	30.17654	0.9288
2	6.341	MM R	0.1973	2.02625e4	1711.88550	99.0712



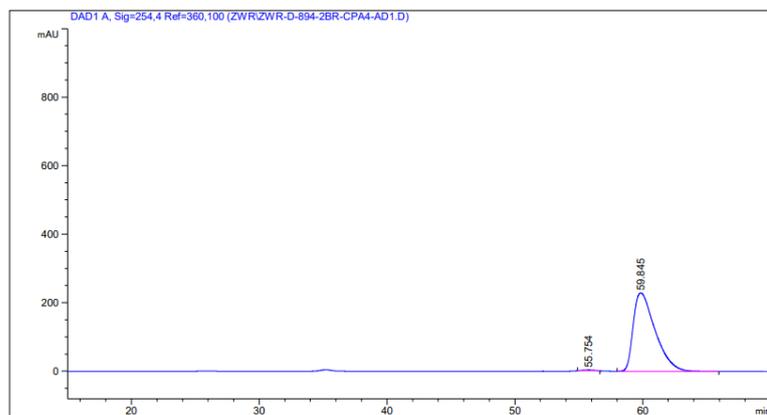
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

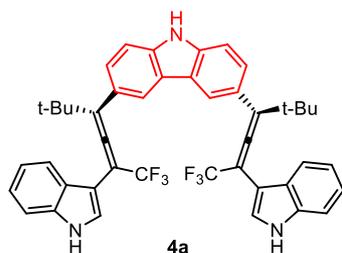
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	56.350	BB	1.4167	1.21459e4	127.58136	49.9746
2	60.917	BB	1.6702	1.21583e4	104.28034	50.0254

HPLC spectrum of the chiral compound

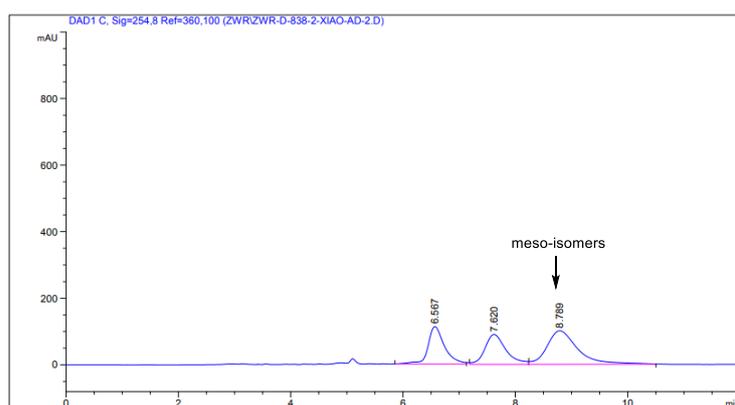


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	55.754	MM R	0.9619	128.79843	2.23166	0.4674
2	59.845	MM R	1.9952	2.74266e4	229.09926	99.5326



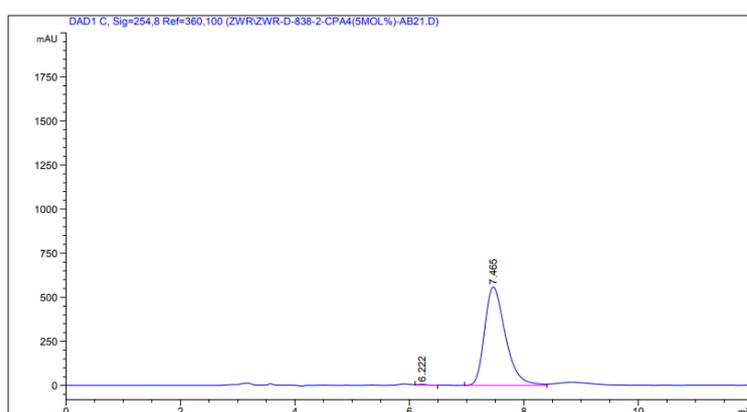
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

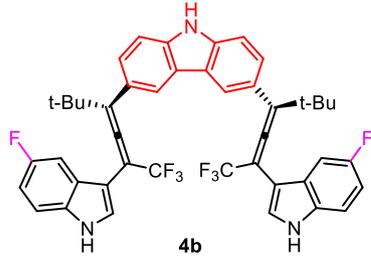
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.567	MM R	0.3405	2295.85938	112.38038	27.1559
2	7.620	VV	0.3882	2328.51611	89.90695	27.5421
3	8.789	VB	0.5732	3830.00317	100.37406	45.3020

HPLC spectrum of the chiral compound

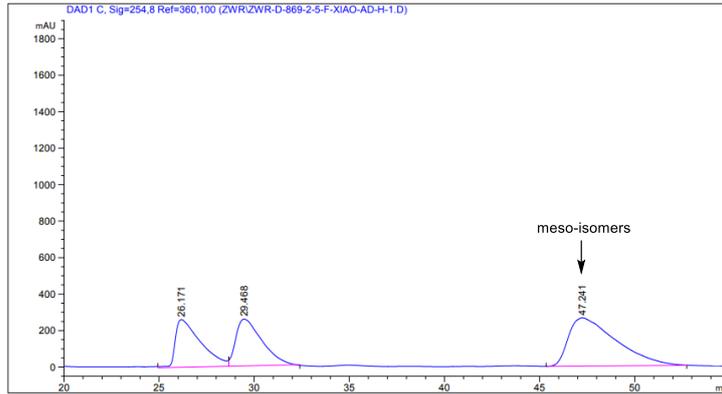


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.222	VB	0.1701	59.33525	5.31953	0.4189
2	7.465	BB	0.3870	1.41057e4	557.89514	99.5811



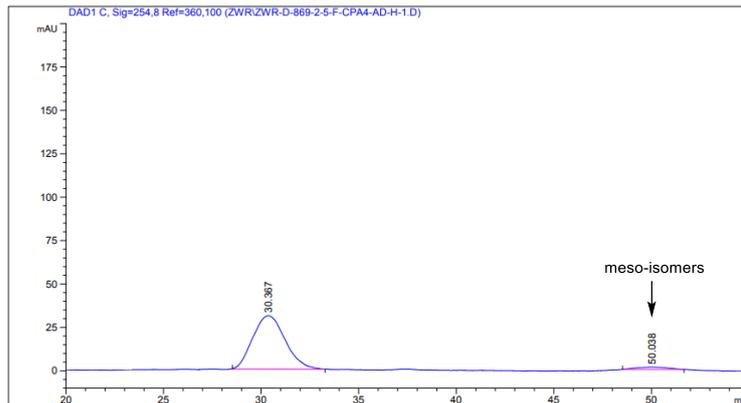
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

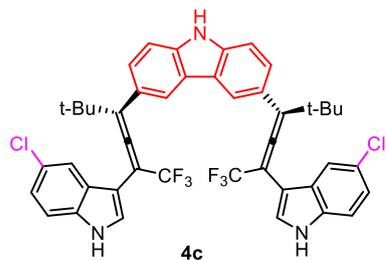
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	26.171	MF R	1.5361	2.40896e4	261.37869	25.5168
2	29.468	FM R	1.5841	2.43348e4	256.03168	25.7765
3	47.241	BB	2.1252	4.59823e4	264.63385	48.7066

HPLC spectrum of the chiral compound

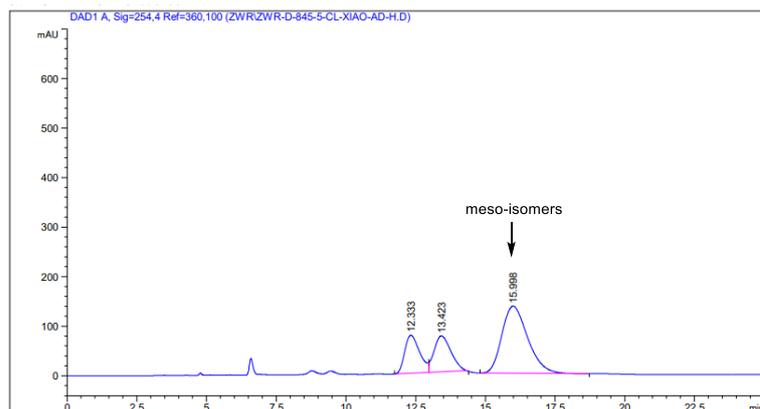


信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	30.367	BB	1.3616	3556.20581	30.87954	95.8056
2	50.038	MM R	1.8822	155.69296	1.37864	4.1944



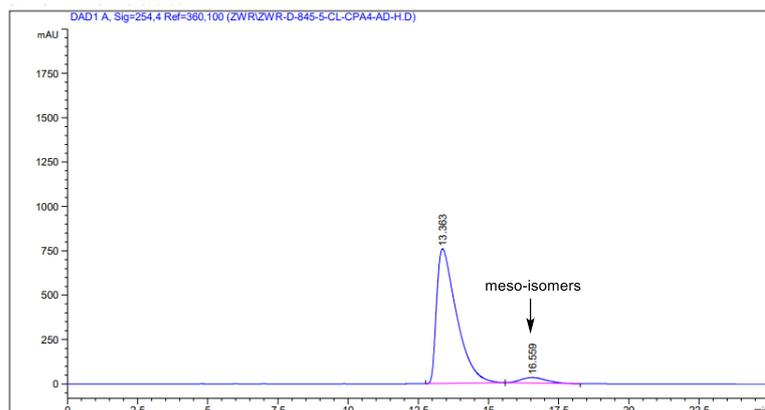
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

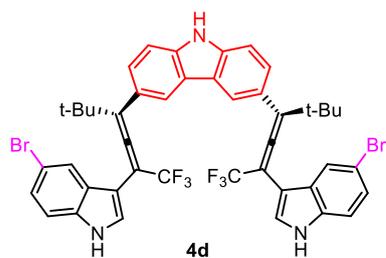
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.333	MF R	0.6218	2861.94897	76.71641	19.5460
2	13.423	FM R	0.7006	3052.11230	72.61126	20.8447
3	15.998	BB	0.9995	8728.07129	135.50272	59.6093

HPLC spectrum of the chiral compound

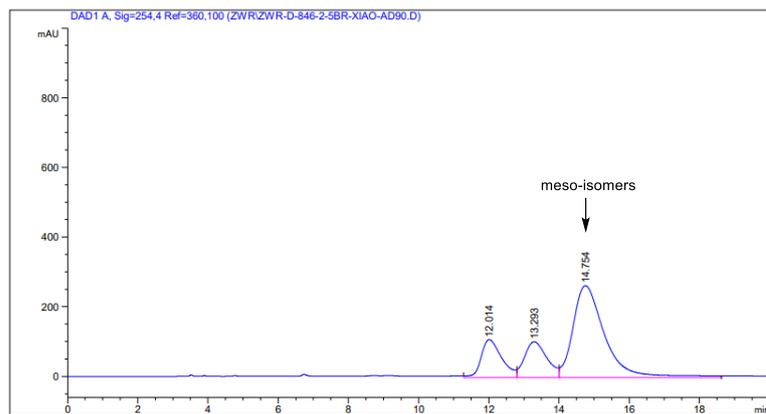


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.363	BB	0.7262	3.75884e4	758.48920	95.0672
2	16.559	BB	0.9442	1950.35876	31.16309	4.9328



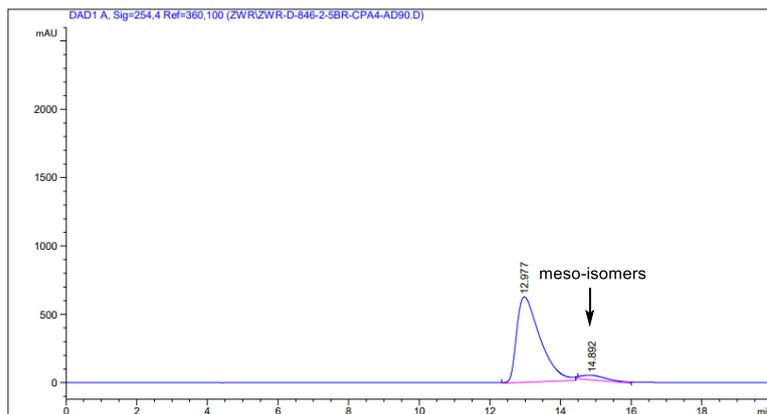
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

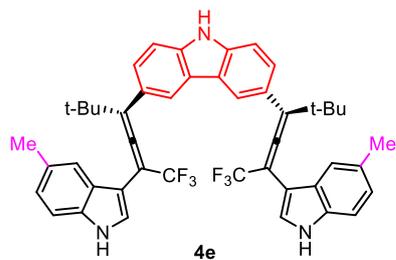
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.014	MF R	0.6811	4440.04590	108.64317	17.3359
2	13.293	MF R	0.7329	4517.70313	102.73260	17.6391
3	14.754	FM R	1.0525	1.66541e4	263.71942	65.0250

HPLC spectrum of the chiral compound

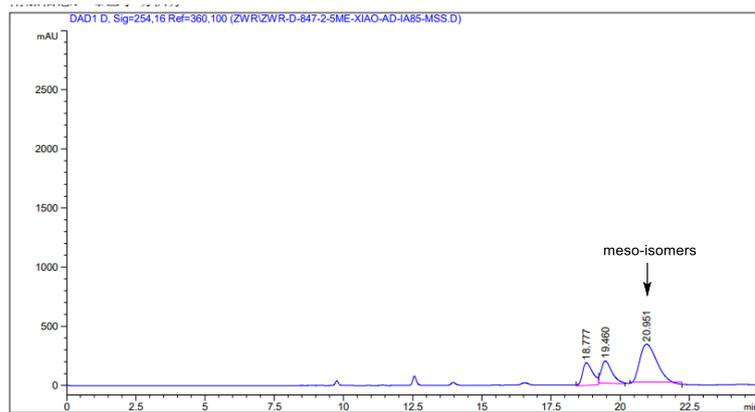


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.977	MM R	0.7703	2.88875e4	625.02271	93.9555
2	14.892	MM R	0.8763	1858.44873	35.34793	6.0445



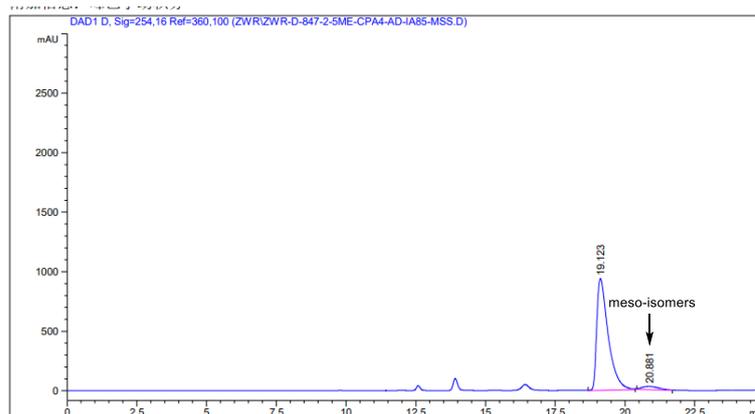
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=254,16 Ref=360,100

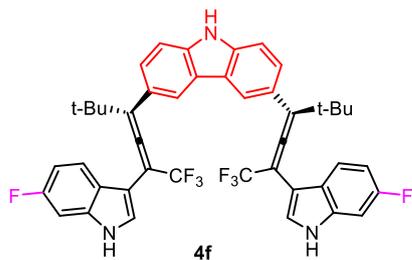
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.777	MM R	0.4307	4904.25098	189.76622	21.0546
2	19.460	MM R	0.4427	5040.65527	189.75624	21.6402
3	20.951	MM R	0.6927	1.33481e4	321.17630	57.3052

HPLC spectrum of the chiral compound

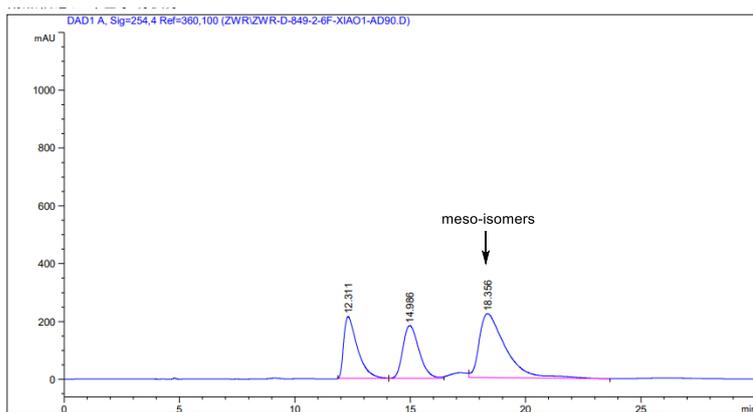


信号 1: DAD1 D, Sig=254,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	19.123	MM R	0.4778	2.69639e4	940.57959	95.6430
2	20.881	MM R	0.7213	1228.34460	28.38090	4.3570



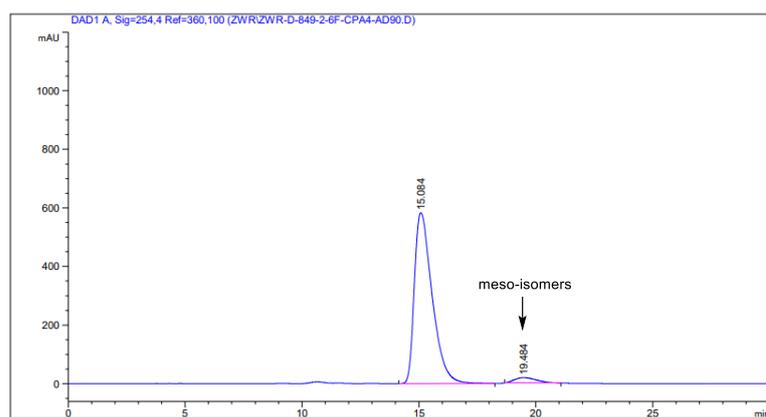
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

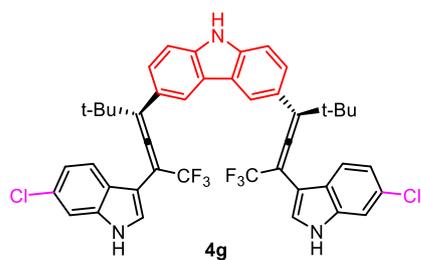
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.311	MF R	0.6853	8777.64746	213.48773	24.9327
2	14.986	FM R	0.8135	8900.74121	182.36050	25.2824
3	18.356	VB	1.1513	1.75270e4	220.99744	49.7849

HPLC spectrum of the chiral compound

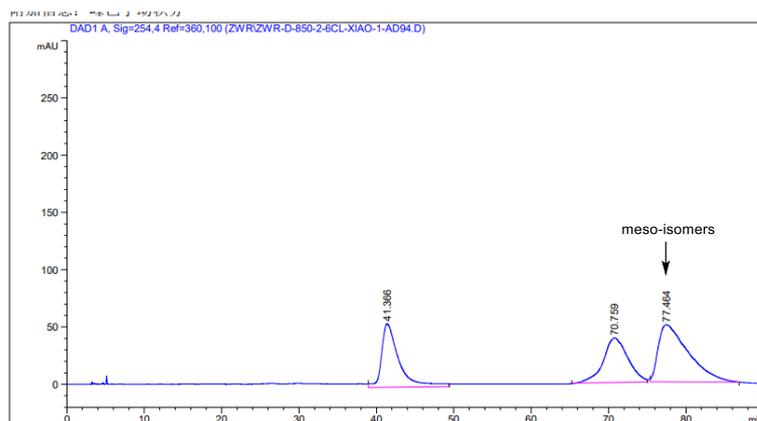


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.084	BB	0.7940	3.07789e4	582.96765	96.3749
2	19.484	MM R	1.0751	1157.74951	17.94712	3.6251



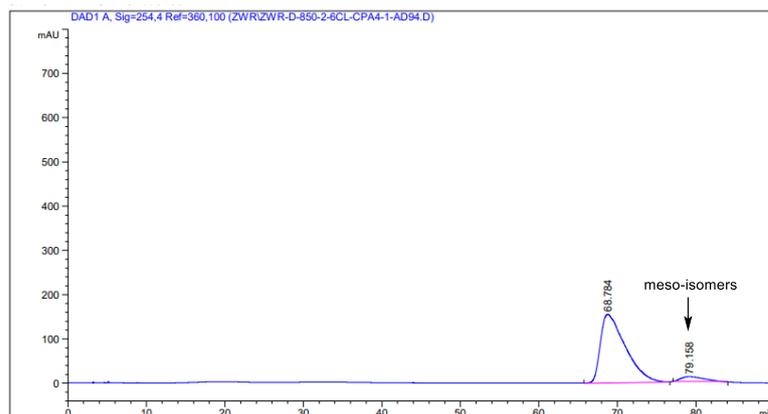
HPLC spectrum of the racemate



信号 1: DAD1 A, Sig=254,4 Ref=360,100

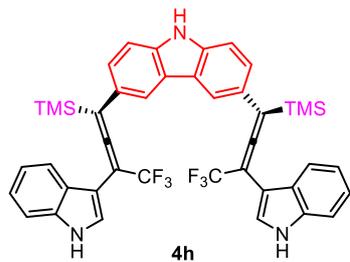
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	41.366	MM R	2.5956	8630.10059	55.41478	28.0652
2	70.759	MM R	3.6928	8644.79590	39.01685	28.1130
3	77.464	MM R	4.5021	1.34753e4	49.88477	43.8218

HPLC spectrum of the chiral compound

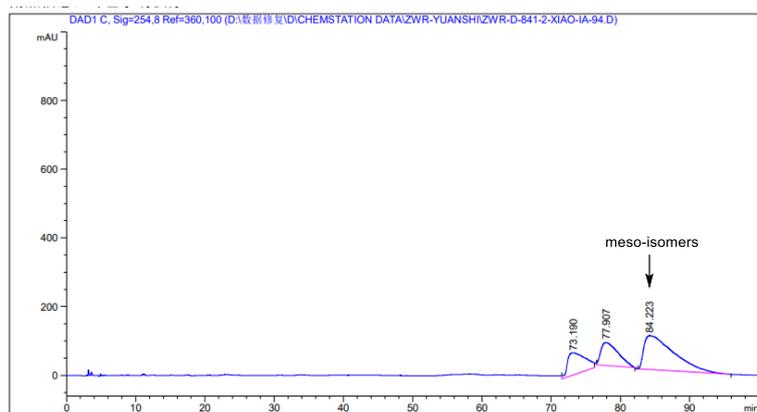


信号 1: DAD1 A, Sig=254,4 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	68.784	MM R	3.6806	3.42384e4	155.04185	93.8806
2	79.158	MM R	3.3855	2231.75171	10.98671	6.1194



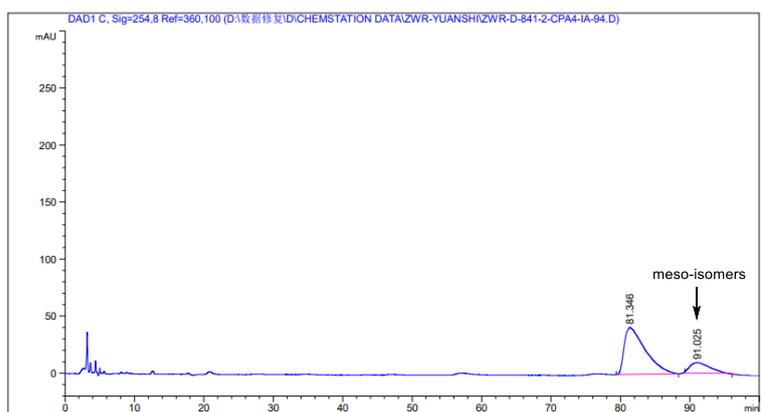
HPLC spectrum of the racemate



信号 1: DAD1 C, 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	73.190	MM R	2.0395	1.12892e4	64.94926	21.2109
2	77.907	MM R	2.9500	1.18489e4	66.94234	22.2625
3	84.223	BB	3.5896	3.00855e4	99.27474	56.5265

HPLC spectrum of the chiral compound



信号 1: DAD1 C, 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	81.346	BB	2.4679	8620.21387	41.08321	82.1771
2	91.025	MM R	3.3121	1869.58960	9.40787	17.8229

7. Preliminary studies on the anti-tumor activity of selected compounds

HCT-116, MCF-7, MDA-MB-231 and HeLa cell lines were cultured in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% fetal bovine serum (FBS), 100 U·mL⁻¹ penicillin, and 100 µg·mL⁻¹ streptomycin. All cell lines were derived from established laboratory stocks. For cytotoxicity evaluation, cells were seeded into 96-well plates at a density of 5 × 10³ cells per well and allowed to adhere for 24 h. The test compounds were then added at 10 µM, with control wells receiving an equivalent volume of DMSO. After treatment, cells were incubated for 48 h. Cell viability was measured using the MTT assay. The culture medium was replaced with serum-free DMEM containing MTT (0.5 mg·mL⁻¹), followed by incubation for 4 h. The formed formazan crystals were dissolved in DMSO, and absorbance was recorded at 490 nm using a microplate reader. All experiments were performed in triplicate.

Table S5. Inhibition activity of the resulting compounds against cancer cell lines

Compound	Inhibition (%; n=3, mean ± SEM) ^a			
	HCT-116	MCF-7	MDA-MB-231	HeLa
3c	0.62 ± 0.24	34.41 ± 3.59	59.11 ± 5.29	56.06 ± 4.17
3d	8.25 ± 0.71	4.22 ± 0.27	45.45 ± 1.19	34.03 ± 3.16
3e	29.49 ± 3.74	3.85 ± 0.81	69.83 ± 3.99	53.64 ± 3.33
3f	13.06 ± 1.27	7.67 ± 0.51	69.83 ± 2.28	66.90 ± 4.14
3g	25.80 ± 3.07	13.70 ± 0.94	87.19 ± 4.41	95.86 ± 3.29
3i	9.40 ± 1.88	15.01 ± 1.55	76.57 ± 3.94	66.49 ± 2.66
3m	16.08 ± 1.93	14.20 ± 1.83	38.74 ± 2.86	42.66 ± 2.94
3o	6.09 ± 2.37	7.16 ± 0.64	26.54 ± 4.02	4.15 ± 1.27
3p	6.76 ± 0.95	5.21 ± 1.90	35.89 ± 5.03	45.00 ± 5.01
3r	8.32 ± 0.85	21.52 ± 2.73	83.04 ± 5.51	68.83 ± 3.42
4a	2.85 ± 0.27	2.81 ± 0.33	36.78 ± 2.57	17.45 ± 1.64
4c	5.77 ± 0.50	6.38 ± 1.06	38.43 ± 3.95	27.31 ± 1.57
4d	6.70 ± 0.90	3.85 ± 1.22	36.78 ± 2.11	2.76 ± 0.69
4e	9.81 ± 1.62	7.75 ± 0.59	38.02 ± 3.46	18.36 ± 0.42
4f	9.14 ± 1.38	6.78 ± 0.95	43.39 ± 3.69	42.60 ± 3.42
4g	8.17 ± 2.00	7.42 ± 1.21	55.37 ± 1.97	42.78 ± 4.02
4h	18.11 ± 3.07	8.06 ± 0.64	36.15 ± 6.04	13.69 ± 2.00

^a Inhibition (%) Of HCT-116, MCF-7, MDA-MB-231 and HeLa was produced by the tested compounds at 10 µM.

8. References

- [1] (a) W.-R. Zhu, Q. Su, X.-Y. Deng, J.-S. Liu, T. Zhong, S.-S. Meng, J.-T. Yi, J. Weng and G. Lu, *Chem. Sci.*, **2022**, *13*, 170. (b) W.-R. Zhu, Q. Su, H.-J. Diao, E.-X. Wang, F. Wu, Y.-L. Zhao, J. Weng and G. Lu, *Org. Lett.*, **2022**, *22*, 6873. (c) W. Xia, Q.-J. An, S.-H. Xiang, S. Li, Y.-B. Wang, B. Tan, *Angew. Chem. Int. Ed.* **2020**, *59*, 6775.