

## Supporting Information

### **Tandem approach to NOBIN analogues from arylhydroxylamines and diaryliodonium salts via [3,3]-sigmatropic rearrangement**

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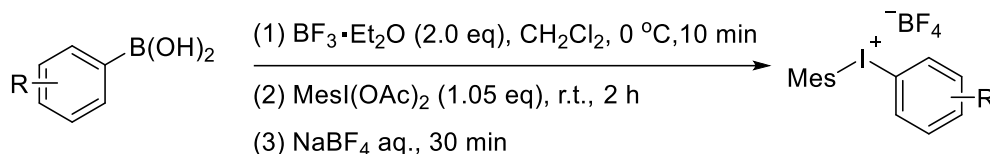
## 1. General remarks

All reactions were carried out in oven-dried glassware under an atmosphere of nitrogen with magnetic stirring. Solvents were dried by passage through an activated alumina column under argon. Liquids and solutions were transferred via syringe. All reactions were monitored by thin-layer chromatography (TLC) with E. Merck silica gel 60 F254 pre-coated plates (0.25 mm). Silica gel (particle size 0.032 - 0.063 mm) purchased from SiliCycle was used for flash chromatography. Optical rotations were recorded on an mrc MCP5300 automatic polarimeter. Enantiomeric excesses (**ee**) were determined by HPLC analysis on Waters HPLC units, including the following instruments: pump, Waters 1525; detector, Waters 2998; autosampler, Waters 1525; column, Chiralcel OD-H, AD-H, IA, IC.

Proton ( $^1\text{H}$ ) and carbon ( $^{13}\text{C}$ ) NMR spectra were recorded on a Bruker AV-500 spectrometer operating at 500 MHz or 400 MHz for proton and 126 MHz or 101 MHz for carbon nuclei using  $\text{CDCl}_3$  or  $\text{DMSO-}d_6$  as solvent, respectively. Chemical shifts are expressed as parts per million ( $\delta$ , ppm) and are referenced to 7.26 ( $\text{CDCl}_3$ ) for  $^1\text{H}$  NMR and 77.00 ( $\text{CDCl}_3$ ) for  $^{13}\text{C}$  NMR. Proton signal data uses the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet and  $J$  = coupling constant. It is noteworthy that the signal at 5.75 ppm originates from dichloromethane in some compounds'  $^1\text{H}$ -NMR spectrum and the peak is unrelated to the identity of the compound. High Resolution Mass Spectrometry was performed on a Bruker Apex II mass instrument under the conditions of electrospray ionization (ESI) in both positive and negative mode.

**Materials and Methods.** Arylhydroxylamine substrates **1a** and **1u-1ag** were prepared according to literature procedures<sup>1</sup>. Diaryliodonium substrates **5a**, **5h**, **5l<sup>2</sup>**; **5b-d**, **5j<sup>3</sup>**; **5e**, **5f**, **5r<sup>4</sup>**; **5p<sup>5</sup>** and **5k<sup>6</sup>** were prepared according to literature procedures.

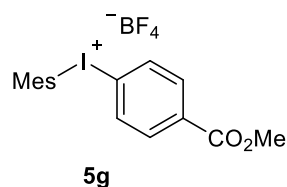
## 2. General procedure for the synthesis of Diaryliodonium Substrates



$[\text{Ar-I-Mes}]\text{BF}_4$  substrates were prepared according to the literature<sup>4</sup>. The indicated arylboronic acid (5 mmol, 1.0 equiv) and DCM (50 mL) were combined in an oven-dried round-bottom flask equipped with a stir bar. The mixture was cooled to 0 °C,  $\text{BF}_3 \cdot \text{OEt}_2$  (2.0 equiv) was added dropwise and the resulting reaction mixture was stirred for 10 min.  $\text{MesI}(\text{OAc})_2$  (5.25 mmol, 1.05 equiv) was then added as a solution in DCM (15 mL), and the mixture was warmed to room temperature while stirring for 2 h. Then the saturated aqueous  $\text{NaBF}_4$  was added to quench the reaction. After 30 minutes of vigorous stirring, the aqueous layer was extracted with DCM for two times. The combined organic layers were dried over  $\text{Na}_2\text{SO}_4$ , filtered, and concentrated under vacuum. The crude residue was then recrystallized with  $\text{Et}_2\text{O}$  to give the desired product.

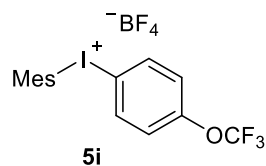
## 3. Analytical data of starting materials

### (1) methyl 4-(mesityl(tetrafluoro- $\lambda^3$ -boranyl)- $\lambda^5$ -iodanyl)benzoate (**5g**)



64% yield; White solid, m.p. = 88-89 °C;  $R_f$  = 0.5 (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  8.04-7.98 (m, 2H), 7.97-7.91 (m, 2H), 7.19 (s, 2H), 3.85 (s, 3H), 2.58 (s, 6H), 2.29 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.7, 143.0, 141.5, 134.6, 132.1, 130.1, 127.7, 126.0, 123.8, 53.1, 26.7, 21.0; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{17}\text{H}_{18}\text{IO}_2]^+ [\text{M-BF}_4]^-$ : 381.0346, found 381.0346.

### (2) tetrafluoro(mesityl(4-(trifluoromethoxy)phenyl)- $\lambda^3$ -iodanyl)- $\lambda^5$ -borane (**5i**)

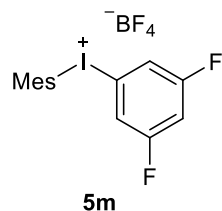


85% yield; White solid, m.p. = 192-194 °C;  $R_f$  = 0.5 (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  8.14-8.06 (m, 2H), 7.52 (dd,  $J$  = 9.0, 0.8 Hz, 2H), 7.25 (s, 2H), 2.61 (s, 6H), 2.31 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  150.9 (d,  $J_{\text{C-F}}$  = 1.7 Hz), 143.8, 142.1, 137.3, 130.4, 124.6, 123.3, 120.3 (q,  $J_{\text{C-F}}$  = 259.6 Hz),



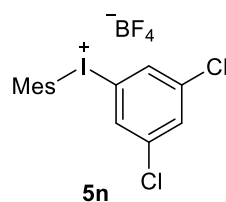
112.6, 26.8, 21.0;  $^{19}\text{F}$  NMR (376 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -56.90 (s), -148.21 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{16}\text{H}_{15}\text{F}_3\text{IO}]^+ [\text{M-BF}_4^-]^+$ : 407.0114, found 407.0119.

**(3) ((3,5-difluorophenyl)(mesityl)- $\lambda^3$ -iodanyl)tetrafluoro- $\lambda^5$ -borane (5m)**



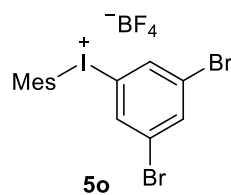
20% yield; White solid, m.p. = 71-73 °C;  $R_f$  = 0.5 (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  7.57 (s, 2H), 7.48 (t,  $J$  = 8.6 Hz, 1H), 7.18 (s, 2H), 2.59 (s, 6H), 2.29 (s, 3H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  163.1 (dd,  $J_{\text{C-F}}$  = 254.0, 12.1 Hz), 142.9, 141.3, 130.0, 127.5, 117.4 (q,  $J_{\text{C-F}}$  = 15.1 Hz), 107.4 (t,  $J_{\text{C-F}}$  = 25.5 Hz), 26.7, 21.0;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -106.24 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{15}\text{H}_{14}\text{F}_2\text{I}]^+ [\text{M-BF}_4^-]^+$ : 359.0103, found 359.0098.

**(4) ((3,5-dichlorophenyl)(mesityl)- $\lambda^3$ -iodanyl)tetrafluoro- $\lambda^5$ -borane (5n)**



51% yield; White solid, m.p. = 88-90 °C;  $R_f$  = 0.5 (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  7.93 (s, 2H), 7.83 (s, 1H), 7.20 (d,  $J$  = 14.1 Hz, 2H), 2.59 (s, 6H), 2.28 (s, 3H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  143.5, 141.9, 136.3, 132.3, 131.7, 130.2, 130.0, 124.8, 26.8, 21.0; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{15}\text{H}_{14}\text{Cl}_2\text{I}]^+ [\text{M-BF}_4^-]^+$ : 390.9512, found 390.9504.

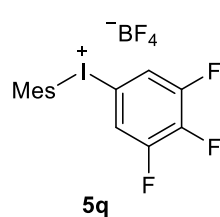
**(5) ((3,5-dibromophenyl)(mesityl)- $\lambda^3$ -iodanyl)tetrafluoro- $\lambda^5$ -borane (5o)**



48% yield; White solid, m.p. = 71-73 °C;  $R_f$  = 0.5 (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  8.08 (s, 2H), 7.39-7.35 (m, 1H), 7.21 (s, 2H), 2.59 (s, 6H), 2.30 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  143.7, 142.1, 135.4, 133.28, 133.27, 130.3, 130.2, 124.7, 26.8, 21.0; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{15}\text{H}_{14}\text{Br}_2\text{I}]^+ [\text{M-BF}_4^-]^+$ : 478.8501, found 478.8505.

**(6) tetrafluoro(mesityl(3,4,5-trifluorophenyl)- $\lambda^3$ -iodanyl)- $\lambda^5$ -borane (5q)**

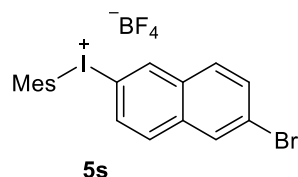
43% yield; White solid, m.p. = 164-166 °C;  $R_f$  = 0.5 (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  7.57 (s, 2H), 7.48 (t,  $J$  = 8.6 Hz, 1H), 7.18 (s, 2H), 2.59 (s,



6H), 2.29 (s, 3H);  $^{13}\text{C}$  NMR (101 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  151.4 (ddd,  $J_{\text{C-F}} = 255.2, 10.3, 2.7$  Hz), 142.8, 141.3, 141.17 (dt,  $J_{\text{C-F}} = 253.8, 15.2$  Hz), 130.0, 119.2 (dd,  $J_{\text{C-F}} = 17.0, 6.1$  Hz), 26.7, 21.0;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -131.41 (d,  $J = 21.1$  Hz), -156.32 (t,  $J = 21.2$  Hz); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{15}\text{H}_{13}\text{F}_3\text{I}]^+ [\text{M-BF}_4]^-$ :

377.0009, found 377.0016.

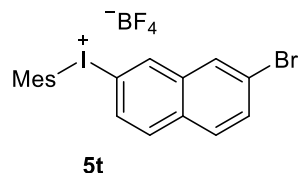
**(7) ((6-bromonaphthalen-2-yl)(mesityl)- $\lambda^3$ -iodanyl)tetrafluoro- $\lambda^5$ -borane (5s)**



82% yield; Brown solid, m.p. = 117-119 °C;  $R_f = 0.5$  (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  8.65 (s, 1H), 8.26 (s, 1H), 8.08-7.77 (m, 3H), 7.72 (d,  $J = 8.1$  Hz, 1H), 7.11 (s, 2H), 2.62 (s, 6H), 2.22 (s, 3H);  $^{13}\text{C}$  NMR

(126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  142.7, 141.4, 135.1, 134.6, 132.9, 131.2, 131.0, 130.7, 130.5, 130.4, 129.9, 126.5, 122.2, 116.8, 26.8, 20.9; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{19}\text{H}_{17}\text{BrI}]^+ [\text{M-BF}_4]^-$ : 450.9553, found 450.9540.

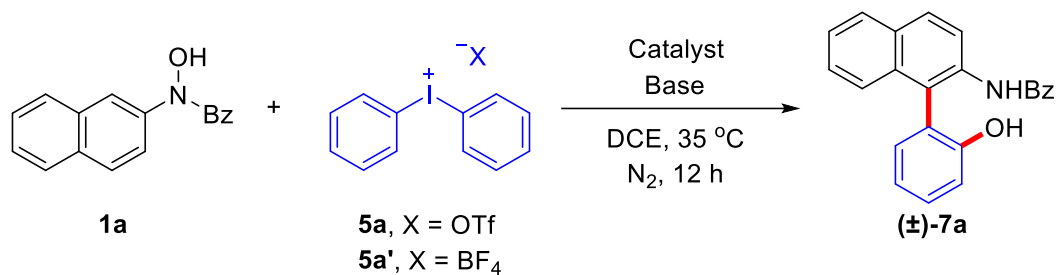
**(8) ((7-bromonaphthalen-2-yl)(mesityl)- $\lambda^3$ -iodanyl)tetrafluoro- $\lambda^5$ -borane (5t)**



95% yield; Brown solid, m.p. = 122-123 °C;  $R_f = 0.5$  (DCM/MeOH = 10/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  8.59 (s, 1H), 8.32 (s, 1H), 7.93 (dt,  $J = 7.3, 6.7$  Hz, 3H), 7.77-7.70 (m, 1H), 7.12 (s, 2H), 2.63 (s, 6H), 2.24 (s, 3H);  $^{13}\text{C}$

NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  142.6, 141.4, 135.4, 134.0, 132.0, 131.7, 131.3, 130.68, 130.65, 130.3, 130.0, 129.9, 121.2, 118.0, 26.8, 21.0; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{19}\text{H}_{17}\text{BrI}]^+ [\text{M-BF}_4]^-$ : 450.9553, found 450.9544.

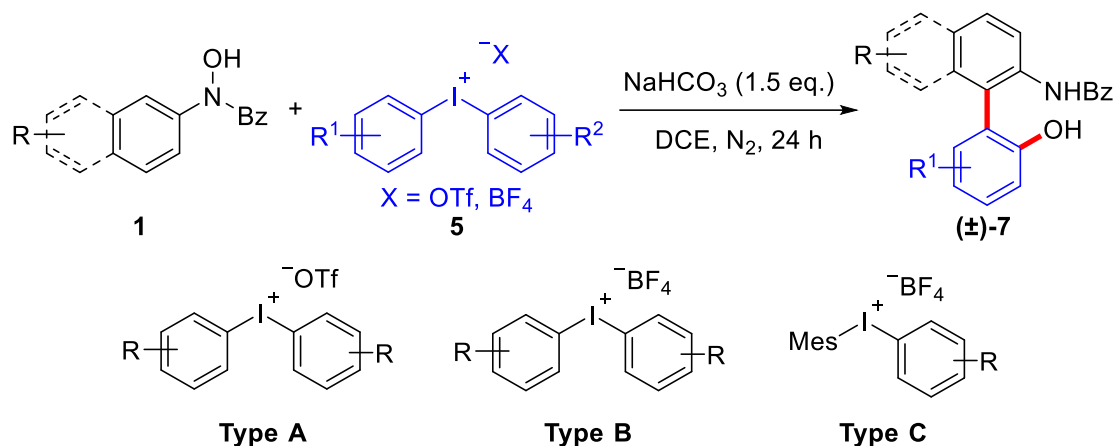
#### 4. Optimization of the reaction conditions.<sup>a</sup>



entry	5	base	catalyst	7a, yield <sup>b</sup>
1	5a	Na <sub>2</sub> CO <sub>3</sub>	CuBr	69
2	5a	Na <sub>2</sub> CO <sub>3</sub>	CuI	67
3	5a	Na <sub>2</sub> CO <sub>3</sub>	CuOAc	71
4	5a	Na <sub>2</sub> CO <sub>3</sub>	Cu(OTf) <sub>2</sub>	65
5	5a	Na <sub>2</sub> CO <sub>3</sub>	-	80
6	5a	NaHCO <sub>3</sub>	-	62
7	5a'	Na <sub>2</sub> CO <sub>3</sub>	-	82
8	5a'	K <sub>2</sub> CO <sub>3</sub>	-	57
9	5a'	CS <sub>2</sub> CO <sub>3</sub>	-	63
10	5a'	Li <sub>2</sub> CO <sub>3</sub>	-	trace
11	5a'	<i>t</i> BuONa	-	trace
12	5a'	<i>t</i> BuOK	-	69
13	5a'	K <sub>3</sub> PO <sub>4</sub>	-	68
14	5a'	NaHCO <sub>3</sub>	-	90

<sup>a</sup>Unless otherwise noted, all reactions were carried out under the following conditions: **1a** (0.2 mmol), **5a** or **5a'** (1.2 equiv), base (1.5 equiv), catalyst (10 mol%), DCE (1 mL) at 35 °C under N<sub>2</sub> for 12 hours. <sup>b</sup>Yields of isolated products. Bz = benzoyl; DCE = 1,2-dichloroethane; Tf = trifluoromethanesulfonyl; Ac = Acetyl.

## 5. General procedure for the synthesis of NOBIN-type biaryls



A solution of  $\text{NaHCO}_3$  (0.3 mmol, 1.5 eq.), **1** (0.2 mmol, 1.0 eq.) and **5** (0.24 mmol, 1.2 eq.) in DCE (1 mL) under  $\text{N}_2$  atmosphere was stirred at indicated temperature until the complete consumption of **1** detected by TLC analysis. Diaryliodonium salts **5** of **Type C** were used unless otherwise noted (**Type A**: **7b-7d**, **7j**; **Type B**: **7a**, **7h**, **7k**, **7l**). The reaction mixture was filtered and evaporated under reduced pressure, and purified by column chromatography to give the desired product **7**.

**Condition A**: 35 °C, 12 h for products **7a**, **7h**, **7l**, **7u-7ae**.

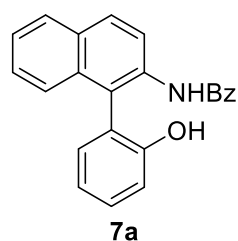
**Condition B**: 35 °C, 24 h for products **7b**, **7f**, **7m**, **7k**, **7r**, **7s**, **7af**, **7ag**, **7aj-7an**.

**Condition C**: 35 °C, 12 h then heated to 50 °C, 12 h for products **7i**, **7n-7q**, **7t**, **7ah**, **7ao-7ar**.

**Condition D**: 50 °C, 24 h for products **7c-7e**, **7g**, **7j**, **7ai**.

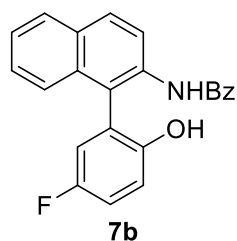
## 6. Analytical data of NOBIN-type biaryls

### (1) *N*-(1-(2-hydroxyphenyl)naphthalen-2-yl)benzamide (**7a**)



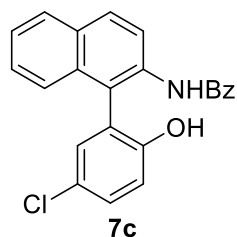
61 mg, 88% yield; White solid, m.p. = 236-238 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO}-d_6$ ):  $\delta$  9.82 (s, 1H), 9.22 (s, 1H), 8.12-7.94 (m, 3H), 7.70 (d,  $J = 7.4$  Hz, 2H), 7.59-7.40 (m, 6H), 7.38-7.31 (m, 1H), 7.24-7.18 (m, 1H), 7.14 (d,  $J = 8.1$  Hz, 1H), 6.98 (t,  $J = 7.3$  Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO}-d_6$ ):  $\delta$  165.5, 155.4, 135.1, 133.9, 132.9, 132.8, 132.1, 131.7, 130.0, 129.5, 129.1, 128.4, 128.1, 127.5, 126.6, 126.4, 125.6, 124.5, 122.7, 119.8, 116.5; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{23}\text{H}_{18}\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 340.1332, found 340.1334.

**(2) *N*-(1-(5-fluoro-2-hydroxyphenyl)naphthalen-2-yl)benzamide (7b)**



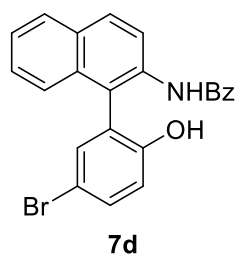
39 mg, 54% yield; White solid, m.p. = 214-216 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz, DMSO- $d_6$ ):  $\delta$  9.71 (s, 1H), 9.49 (s, 1H), 8.01 (dd,  $J$  = 12.7, 8.5 Hz, 2H), 7.92 (d,  $J$  = 8.7 Hz, 1H), 7.76 (d,  $J$  = 7.4 Hz, 2H), 7.61-7.39 (m, 6H), 7.25-7.13 (m, 1H), 7.12-7.01 (m, 2H);  $^{13}\text{C NMR}$  (126 MHz, DMSO- $d_6$ ):  $\delta$  165.9, 155.7 (d,  $J_{\text{C-F}}$  = 234.8 Hz), 151.9, 135.1, 134.1, 132.7, 132.0 (d,  $J_{\text{C-F}}$  = 22.3 Hz), 129.6, 129.0, 128.43, 128.42, 127.7, 126.8, 126.2, 125.8, 125.4, 124.1 (d,  $J_{\text{C-F}}$  = 7.9 Hz), 118.7 (d,  $J_{\text{C-F}}$  = 22.7 Hz), 117.2 (d,  $J_{\text{C-F}}$  = 8.2 Hz), 116.2 (d,  $J_{\text{C-F}}$  = 22.5 Hz);  $^{19}\text{F NMR}$  (471 MHz, DMSO- $d_6$ ):  $\delta$  -125.67 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{23}\text{H}_{17}\text{FNO}_2]^+$   $[\text{M}+\text{H}]^+$ : 358.1238, found 358.1243.

**(3) *N*-(1-(5-chloro-2-hydroxyphenyl)naphthalen-2-yl)benzamide (7c)**



42 mg, 56% yield; White solid, m.p. = 232-234 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz, DMSO- $d_6$ ):  $\delta$  9.89 (s, 1H), 9.48 (s, 1H), 7.99 (t,  $J$  = 8.1 Hz, 2H), 7.83 (d,  $J$  = 8.8 Hz, 1H), 7.74-7.67 (m, 2H), 7.57-7.49 (m, 2H), 7.46 (tt,  $J$  = 5.8, 2.8 Hz, 4H), 7.33 (dd,  $J$  = 8.7, 2.7 Hz, 1H), 7.19 (d,  $J$  = 2.7 Hz, 1H), 7.05 (d,  $J$  = 8.7 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz, DMSO- $d_6$ ):  $\delta$  166.1, 154.6, 135.2, 134.2, 132.6, 132.0, 131.94, 131.88, 129.6, 129.4, 129.0, 128.5, 128.4, 127.7, 126.8, 126.1, 125.8, 125.7, 124.9, 122.8, 117.8; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{23}\text{H}_{17}\text{ClINO}_2]^+$   $[\text{M}+\text{H}]^+$ : 374.0942, found 374.0944.

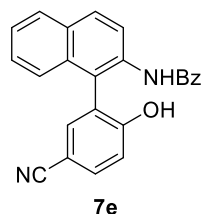
**(4) *N*-(1-(5-bromo-2-hydroxyphenyl)naphthalen-2-yl)benzamide (7d)**



51 mg, 60% yield; White solid, m.p. = 237-239 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz, DMSO- $d_6$ ):  $\delta$  9.91 (s, 1H), 9.49 (s, 1H), 7.99 (t,  $J$  = 8.2 Hz, 2H), 7.83 (d,  $J$  = 8.8 Hz, 1H), 7.76-7.66 (m, 2H), 7.56-7.49 (m, 2H), 7.45 (ddd,  $J$  = 8.7, 6.8, 4.5 Hz, 5H), 7.32 (d,  $J$  = 2.5 Hz, 1H), 7.00 (d,  $J$  = 8.7 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz, DMSO- $d_6$ ):  $\delta$  166.1, 155.1, 135.2, 134.7, 134.2, 132.6, 132.3, 132.0, 131.9,

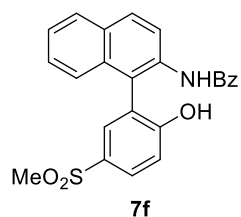
129.6, 128.9, 128.5, 128.4, 127.7, 126.8, 126.1, 125.8, 125.7, 125.5, 118.4, 110.3; HRMS (ESI)  $m/z$  calcd for  $[C_{23}H_{17}BrNO_2]^+ [M+H]^+$ : 418.0437, found 418.0454.

**(5) *N*-(1-(5-cyano-2-hydroxyphenyl)naphthalen-2-yl)benzamide (7e)**



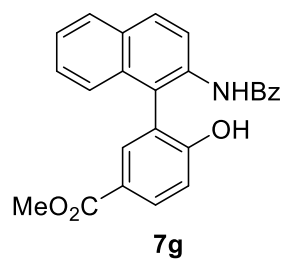
54 mg, 74% yield; White solid, m.p. = 250-251 °C;  $R_f$  = 0.2 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.72 (s, 1H), 9.64 (s, 1H), 8.01 (t,  $J$  = 9.4 Hz, 2H), 7.73 (ddd,  $J$  = 22.4, 17.0, 8.1 Hz, 4H), 7.61 (d,  $J$  = 1.8 Hz, 1H), 7.53 (dd,  $J$  = 11.6, 7.1 Hz, 2H), 7.46 (q,  $J$  = 7.2 Hz, 3H), 7.40 (d,  $J$  = 8.4 Hz, 1H), 7.14 (d,  $J$  = 8.5 Hz, 1H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.2, 160.2, 137.0, 135.2, 134.4, 134.2, 132.5, 132.0, 131.9, 129.5, 128.8, 128.7, 128.5, 127.8, 126.9, 126.2, 126.0, 124.7, 120.0, 117.2, 101.5; HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{17}N_2O_2]^+ [M+H]^+$ : 365.1285, found 365.1289.

**(6) *N*-(1-(2-hydroxy-5-(methylsulfonyl)phenyl)naphthalen-2-yl)benzamide (7f)**



81 mg, 95% yield; White solid, m.p. = 253-254 °C;  $R_f$  = 0.1 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.91 (s, 1H), 9.49 (s, 1H), 7.99 (t,  $J$  = 8.2 Hz, 2H), 7.83 (d,  $J$  = 8.8 Hz, 1H), 7.76-7.66 (m, 2H), 7.56-7.49 (m, 2H), 7.45 (ddd,  $J$  = 8.7, 6.8, 4.5 Hz, 5H), 7.32 (d,  $J$  = 2.5 Hz, 1H), 7.00 (d,  $J$  = 8.7 Hz, 1H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.1, 155.1, 135.2, 134.7, 134.2, 132.6, 132.3, 132.0, 131.9, 129.6, 128.9, 128.5, 128.4, 127.7, 126.8, 126.1, 125.8, 125.7, 125.5, 118.4, 110.3; HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{20}NO_4S]^+ [M+H]^+$ : 418.1108, found 418.1104.

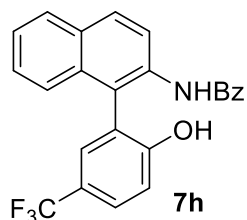
**(7) methyl 3-(2-benzamidonaphthalen-1-yl)-4-hydroxybenzoate (7g)**



42 mg, 53% yield; White solid, m.p. = 121-123 °C;  $R_f$  = 0.1 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.54 (s, 1H), 9.50 (s, 1H), 8.00 (t,  $J$  = 7.8 Hz, 2H), 7.92 (dd,  $J$  = 8.6, 2.2 Hz, 1H), 7.85-7.78 (m, 2H), 7.69-7.64 (m, 2H), 7.55-7.48 (m, 2H), 7.46-7.41 (m, 4H), 7.12 (d,  $J$  = 8.6 Hz, 1H), 3.76 (s, 3H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.5, 166.1, 160.3, 135.2, 134.7, 134.3, 132.7, 131.93, 131.88, 131.4, 123.0, 128.8, 128.5, 128.4, 127.7, 126.8, 126.0, 125.9, 125.8,

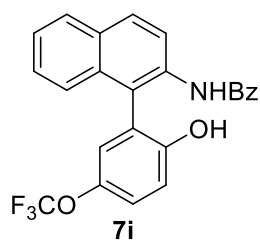
123.2, 120.7, 116.3, 52.1; HRMS (ESI)  $m/z$  calcd for  $[C_{25}H_{20}NO_4]^+ [M+H]^+$ : 398.1387, found 398.1382.

**(8) *N*-(1-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (7h)**



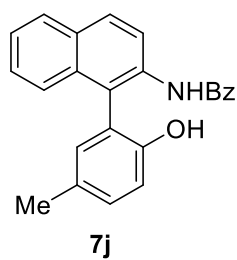
72 mg, 88% yield; White solid, m.p. = 198-200 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.45 (s, 1H), 9.68 (s, 1H), 8.01 (dd,  $J$  = 11.0, 8.7 Hz, 2H), 7.78 (d,  $J$  = 8.7 Hz, 1H), 7.70 (d,  $J$  = 7.2 Hz, 2H), 7.64 (dd,  $J$  = 8.6, 2.0 Hz, 1H), 7.55-7.40 (m, 7H), 7.20 (d,  $J$  = 8.6 Hz, 1H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.4, 159.1, 135.2, 134.3, 132.5, 132.0, 131.9, 130.4, 129.7 (d,  $J_{C-F}$  = 3.6 Hz), 128.7, 128.6, 128.5, 127.8, 127.0 (d,  $J_{C-F}$  = 3.6 Hz), 126.9, 126.4, 126.1, 125.9, 125.2 (q,  $J_{C-F}$  = 272.2 Hz), 123.8, 120.0 (q,  $J_{C-F}$  = 31.9 Hz), 116.7;  $^{19}F$  NMR (471 MHz, DMSO- $d_6$ ):  $\delta$  -59.54 (s); HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{17}F_3NO_2]^+ [M+H]^+$ : 408.1206, found 408.1204.

**(9) *N*-(1-(2-hydroxy-5-(trifluoromethoxy)phenyl)naphthalen-2-yl)benzamide (7i)**



42 mg, 50% yield; White solid, m.p. = 159-161 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.98 (s, 1H), 9.56 (s, 1H), 8.00 (t,  $J$  = 8.4 Hz, 2H), 7.80 (d,  $J$  = 8.7 Hz, 1H), 7.75-7.67 (m, 2H), 7.58-7.49 (m, 2H), 7.49-7.41 (m, 4H), 7.28 (dd,  $J$  = 8.8, 2.7 Hz, 1H), 7.17-7.05 (m, 2H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.1, 154.8, 140.8, 135.0, 134.2, 132.5, 132.0, 131.9, 130.0, 128.8, 128.6, 128.4, 127.7, 126.8, 126.1, 126.0, 125.9, 125.1, 124.4, 122.6, 120.7 (q,  $J_{C-F}$  = 255.1 Hz), 117.1;  $^{19}F$  NMR (471 MHz, DMSO- $d_6$ ):  $\delta$  -57.26 (s); HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{17}F_3NO_3]^+ [M+H]^+$ : 424.1155, found 424.1157.

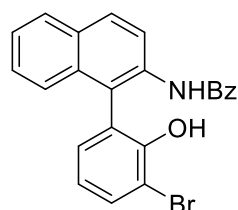
**(10) *N*-(1-(2-hydroxy-5-methylphenyl)naphthalen-2-yl)benzamide (7j)**



43 mg, 59% yield; White solid, m.p. = 256-258 °C;  $R_f$  = 0.3 (DCM:EA = 50:1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.60 (s, 1H), 9.13 (s, 1H), 8.05 (d,  $J$  = 8.8 Hz, 1H), 8.01-7.93 (m, 2H), 7.71-7.64 (m, 2H), 7.58-7.39 (m, 6H), 7.13 (dd,  $J$  = 8.3, 1.9 Hz, 1H), 7.05-6.94 (m, 2H), 2.23 (s, 3H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$

165.4, 153.0, 135.1, 133.8, 133.0, 132.9, 132.2, 131.7, 130.5, 129.2, 129.1, 128.33, 128.28, 128.0, 127.4, 126.6, 126.5, 125.5, 124.1, 122.3, 116.4, 20.6; HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{20}NO_2]^+$   $[M+H]^+$ : 354.1489, found 354.1491.

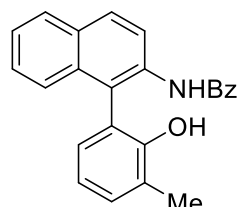
**(11) *N*-(1-(3-bromo-2-hydroxyphenyl)naphthalen-2-yl)benzamide (7k)**



**7k**

42 mg, 50% yield; White solid, m.p. = 257-258 °C;  $R_f$  = 0.5 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.44 (s, 1H), 9.08 (s, 1H), 8.02 (dd,  $J$  = 14.3, 8.3 Hz, 2H), 7.90 (d,  $J$  = 8.8 Hz, 1H), 7.72-7.67 (m, 2H), 7.64 (dd,  $J$  = 8.0, 1.6 Hz, 1H), 7.57-7.43 (m, 5H), 7.38 (d,  $J$  = 8.4 Hz, 1H), 7.16 (dd,  $J$  = 7.5, 1.6 Hz, 1H), 6.93 (t,  $J$  = 7.8 Hz, 1H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.2, 152.1, 135.1, 134.4, 133.3, 132.7, 132.1, 131.9, 129.6, 128.9, 128.7, 128.5, 127.8, 126.9, 126.2, 126.0, 125.8, 125.4, 121.7, 112.3; HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{17}BrNO_2]^+$   $[M+H]^+$ : 418.0437, found 418.0438.

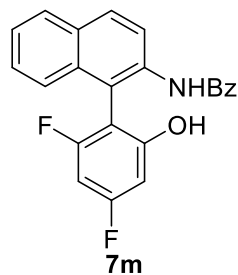
**(12) *N*-(1-(2-hydroxy-3-methylphenyl)naphthalen-2-yl)benzamide (7l)**



**7l**

26 mg, 36% yield; White solid, m.p. = 227-228 °C;  $R_f$  = 0.5 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz,  $CDCl_3$ ):  $\delta$  8.76 (d,  $J$  = 9.0 Hz, 1H), 8.00 (d,  $J$  = 9.1 Hz, 2H), 7.93-7.86 (m, 1H), 7.56-7.51 (m, 2H), 7.50-7.33 (m, 7H), 7.14-7.03 (m, 2H), 4.96 (s, 1H), 2.37 (s, 3H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  165.6, 153.1, 135.0, 134.0, 133.0, 132.2, 131.7, 131.4, 130.1, 129.2, 129.1, 128.4, 128.3, 127.4, 126.7, 126.4, 126.2, 125.6, 124.1, 123.6, 120.4, 17.3; HRMS (ESI)  $m/z$  calcd for  $[C_{24}H_{20}NO_2]^+$   $[M+H]^+$ : 354.1489, found 354.1490.

**(13) *N*-(1-(2,4-difluoro-6-hydroxyphenyl)naphthalen-2-yl)benzamide (7m)**



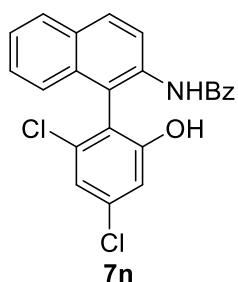
**7m**

50 mg, 67% yield; White solid, m.p. = 212-214 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.51 (s, 1H), 9.60 (s, 1H), 7.99 (dd,  $J$  = 17.1, 8.3 Hz, 2H), 7.89 (d,  $J$  = 8.8 Hz, 1H), 7.74 (d,  $J$  = 7.3 Hz, 2H), 7.57-7.40 (m, 6H), 6.79 (td,  $J$  = 9.5, 2.1 Hz, 1H), 6.69 (d,  $J$  = 10.5 Hz, 1H);  $^{13}C$  NMR (126 MHz,



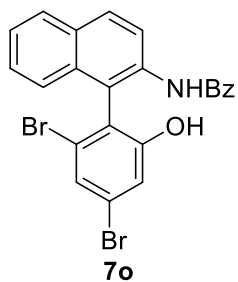
DMSO-*d*<sub>6</sub>):  $\delta$  165.9, 163.3 (dd,  $J_{C-F}$  = 184.0, 16.6 Hz), 161.3 (dd,  $J_{C-F}$  = 184.2, 16.6 Hz), 158.3 (dd,  $J_{C-F}$  = 13.8, 10.0 Hz), 135.5, 135.3, 132.7, 131.9, 131.6, 128.8, 128.6 (d,  $J_{C-F}$  = 22.2 Hz), 127.9, 126.9, 125.7 (d,  $J_{C-F}$  = 6.5 Hz), 125.5, 123.1, 108.0 (dd,  $J_{C-F}$  = 19.5, 3.8 Hz), 99.4 (d,  $J_{C-F}$  = 21.3 Hz), 95.2 (t,  $J_{C-F}$  = 27.0 Hz); <sup>19</sup>F NMR (471 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  -107.67 (d,  $J$  = 7.4 Hz), -110.73 (d,  $J$  = 7.5 Hz); HRMS (ESI)  $m/z$  calcd for [C<sub>23</sub>H<sub>16</sub>F<sub>2</sub>NO<sub>2</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 376.1144, found 376.1148.

**(14) *N*-(1-(2,4-dichloro-6-hydroxyphenyl)naphthalen-2-yl)benzamide (7n)**



48 mg, 59% yield; White solid, m.p. = 232-234 °C;  $R_f$  = 0.3 (DCM/EA = 50/1); <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  10.37 (s, 1H), 9.40 (s, 1H), 8.04-7.92 (m, 3H), 7.72-7.63 (m, 2H), 7.56-7.40 (m, 5H), 7.29 (d,  $J$  = 8.3 Hz, 1H), 7.20 (d,  $J$  = 2.0 Hz, 1H), 7.03 (d,  $J$  = 2.0 Hz, 1H); <sup>13</sup>C NMR (126 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  166.1, 158.4, 136.3, 135.5, 135.0, 133.9, 132.2, 131.9, 131.5, 128.8, 128.7, 128.5, 128.0, 126.9, 125.7, 125.5, 125.4, 125.0, 121.5, 120.0, 114.9; HRMS (ESI)  $m/z$  calcd for [C<sub>23</sub>H<sub>16</sub>Cl<sub>2</sub>NO<sub>2</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 408.0553, found 408.0553.

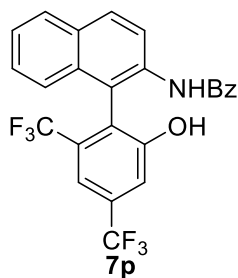
**(15) *N*-(1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide (7o)**



49 mg, 48% yield; White solid, m.p. = 243-245 °C;  $R_f$  = 0.3 (DCM/EA = 50/1); <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  10.38 (s, 1H), 9.25 (s, 1H), 8.03-7.95 (m, 3H), 7.71-7.63 (m, 2H), 7.57-7.39 (m, 6H), 7.29-7.20 (m, 2H); <sup>13</sup>C NMR (126 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  166.1, 158.5, 135.5, 134.7, 132.02, 131.96, 131.4, 128.8, 128.6, 128.5, 127.9, 127.0, 126.9, 126.7, 125.6, 125.53, 125.47, 124.6, 123.7, 122.4, 118.3; HRMS (ESI)  $m/z$  calcd for [C<sub>23</sub>H<sub>16</sub>Br<sub>2</sub>NO<sub>2</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 495.9542, found 495.9559.

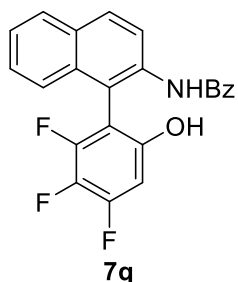
**(16) *N*-(1-(2-hydroxy-4,6-bis(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (7p)**

54 mg, 57% yield; White solid, m.p. = 201-203 °C;  $R_f$  = 0.3 (DCM/EA = 50/1); <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  10.69 (s, 1H), 9.28 (s, 1H), 8.05-7.95 (m, 3H), 7.61 (s, 1H), 7.59-7.54 (m, 3H), 7.54-7.46 (m, 2H), 7.41 (q,  $J$  = 7.3, 6.9 Hz, 3H), 7.12 (d,  $J$  =



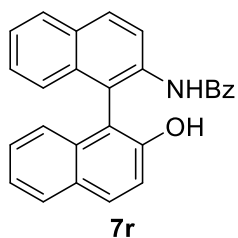
8.4 Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.5, 158.5, 135.5, 134.9, 132.7, 131.9 (q,  $J_{\text{C-F}} = 29.0$  Hz), 131.8, 131.2, 130.9 (q,  $J_{\text{C-F}} = 32.8$  Hz), 128.8, 128.7, 128.3, 128.0, 126.8, 125.6, 125.5, 125.11 (q,  $J_{\text{C-F}} = 252.0$  Hz), 124.8 (t,  $J_{\text{C-F}} = 22.7$  Hz), 122.7 (d,  $J_{\text{C-F}} = 47.9$  Hz), 120.5 (d,  $J_{\text{C-F}} = 50.6$  Hz), 116.4, 113.5;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.01 (s), -61.65 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{25}\text{H}_{16}\text{F}_6\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 476.1080, found 476.1084.

**(17) N-(1-(2,3,4-trifluoro-6-hydroxyphenyl)naphthalen-2-yl)benzamide (7q)**



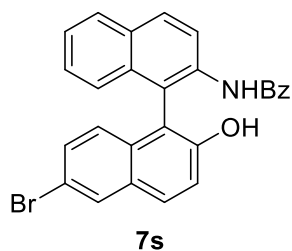
25 mg, 31% yield; White solid, m.p. = 235-237 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.33 (s, 1H), 9.76 (s, 1H), 8.02 (dd,  $J = 21.2, 8.1$  Hz, 2H), 7.86 (d,  $J = 8.5$  Hz, 1H), 7.74 (d,  $J = 7.1$  Hz, 2H), 7.65-7.34 (m, 6H), 6.89-6.70 (m, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.0, 152.2 (t,  $J_{\text{C-F}} = 9.3$  Hz), 151.1 (ddd,  $J_{\text{C-F}} = 61.6, 10.2, 6.2$  Hz), 149.2 (ddd,  $J_{\text{C-F}} = 60.8, 10.0, 6.1$  Hz), 135.8, 135.3, 134.5 (t,  $J_{\text{C-F}} = 16.3$  Hz), 132.5, 131.9, 131.6, 129.1, 128.8, 128.5, 128.0, 126.5 (d,  $J_{\text{C-F}} = 161.7$  Hz), 125.5 (d,  $J_{\text{C-F}} = 27.6$  Hz), 122.1, 109.2 (d,  $J_{\text{C-F}} = 15.4$  Hz), 99.7 (d,  $J_{\text{C-F}} = 19.1$  Hz);  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -132.06 (d,  $J = 23.1$  Hz), -136.12 (d,  $J = 22.4$  Hz), -174.14 (t,  $J = 23.2$  Hz); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{23}\text{H}_{15}\text{F}_3\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 394.1049, found 394.1047.

**(18) N-(2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7r)**



55 mg, 71% yield; White solid, m.p. = 242-244 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  9.96 (s, 1H), 8.76 (s, 1H), 8.38 (d,  $J = 8.9$  Hz, 1H), 8.11 (d,  $J = 8.9$  Hz, 1H), 8.02 (dd,  $J = 8.4, 3.7$  Hz, 2H), 7.91 (d,  $J = 8.0$  Hz, 1H), 7.46 (dt,  $J = 23.4, 8.2$  Hz, 3H), 7.31 (ddt,  $J = 23.0, 14.8, 7.4$  Hz, 6H), 7.22-7.12 (m, 2H), 6.96 (d,  $J = 8.4$  Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.4, 153.8, 135.1, 135.0, 133.9, 133.2, 132.1, 131.5, 130.7, 129.0, 128.68, 128.65, 128.53, 128.46, 127.2, 127.1, 126.8, 126.3, 125.5, 125.1, 124.5, 123.4, 123.2, 119.0, 114.1; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{27}\text{H}_{20}\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 390.1489, found 390.1491.

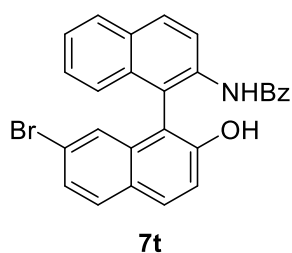
**(19) *N*-(6'-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7s)**



64 mg, 69% yield; White solid, m.p. = 197-199 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.03 (s, 1H), 8.93 (s, 1H), 8.20 (d,  $J$  = 8.9 Hz, 1H), 8.16 (d,  $J$  = 2.1 Hz, 1H), 8.09 (d,  $J$  = 8.9 Hz, 1H), 8.02 (d,  $J$  = 8.1 Hz, 1H), 7.97 (d,  $J$  = 8.9 Hz, 1H), 7.50-7.44 (m, 3H), 7.40-7.28 (m, 6H),

7.09 (d,  $J$  = 8.5 Hz, 1H), 6.86 (d,  $J$  = 9.1 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.7, 154.2, 135.2, 135.1, 133.0, 132.7, 132.0, 131.6, 130.3, 129.82, 129.80, 129.7, 128.9, 128.6, 128.5, 127.4, 127.0, 126.8, 126.1, 125.6, 125.4, 124.1, 120.1, 116.1, 114.7; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{27}\text{H}_{19}\text{BrNO}_2]^+ [\text{M}+\text{H}]^+$ : 468.0594, found 468.0581.

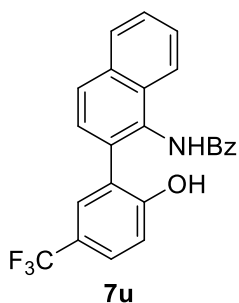
**(20) *N*-(7'-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7t)**



62 mg, 66% yield; White solid, m.p. = 237-238 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.08 (s, 1H), 9.09 (s, 1H), 8.20-7.95 (m, 4H), 7.85 (d,  $J$  = 8.7 Hz, 1H), 7.52-7.28 (m, 9H), 7.17-7.06 (m, 2H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.9, 154.8, 135.4, 135.4, 135.3, 133.0,

131.9, 131.7, 130.9, 130.6, 129.0, 128.8, 128.62, 128.58, 127.5, 127.2, 127.0, 126.9, 126.5, 126.1, 125.7, 124.7, 120.8, 119.5, 113.9; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{27}\text{H}_{19}\text{BrNO}_2]^+ [\text{M}+\text{H}]^+$ : 468.0594, found 468.0600.

**(21) *N*-(2-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-1-yl)benzamide (7u)**

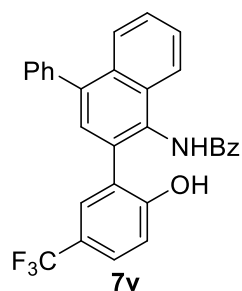


42 mg, 52% yield; White solid, m.p. = 210-212 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.51 (s, 1H), 9.60 (s, 1H), 7.99 (dd,  $J$  = 17.1, 8.3 Hz, 2H), 7.89 (d,  $J$  = 8.8 Hz, 1H), 7.74 (d,  $J$  = 7.3 Hz, 2H), 7.57-7.40 (m, 6H), 6.79 (td,  $J$  = 9.5, 2.1 Hz, 1H), 6.69 (d,  $J$  = 10.5 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  167.2, 158.4, 134.9, 133.9, 133.7, 132.2, 131.9,

131.5, 129.2, 128.7, 128.5 (d,  $J_{\text{C-F}}$  = 3.6 Hz), 128.4, 127.9, 127.1, 126.99, 126.97, 126.7, 126.4 (d,  $J_{\text{C-F}}$  = 3.6 Hz), 125.2 (q,  $J_{\text{C-F}}$  = 270.9 Hz), 124.4, 119.7 (q,  $J_{\text{C-F}}$  = 31.9 Hz),

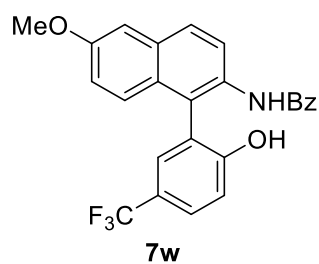
116.6;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.60 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{24}\text{H}_{17}\text{F}_3\text{NO}_2]^+ [\text{M}+\text{H}]^+$ : 408.1206, found 408.1208.

**(22) *N*-(2-(2-hydroxy-5-(trifluoromethyl)phenyl)-4-phenylnaphthalen-1-yl)benzamide (7v)**



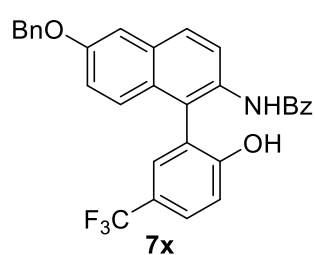
50 mg, 52% yield; White solid, m.p. = 215-217 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.54 (s, 1H), 10.18 (s, 1H), 8.07 (d,  $J$  = 8.3 Hz, 1H), 7.89 (dd,  $J$  = 17.5, 7.8 Hz, 3H), 7.71 (s, 1H), 7.65-7.44 (m, 12H), 7.12 (d,  $J$  = 8.5 Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  167.3, 158.4, 140.1, 138.7, 134.2 (d,  $J_{\text{C-F}}$  = 198.2 Hz), 131.9, 131.5, 130.3, 129.9, 129.1, 128.8, 128.7 (d,  $J_{\text{C-F}}$  = 3.1 Hz), 128.1, 128.0, 127.1, 126.9, 126.8, 126.5 (d,  $J_{\text{C-F}}$  = 3.5 Hz), 126.0, 125.2 (q,  $J_{\text{C-F}}$  = 268.8 Hz), 124.9, 119.9 (q,  $J_{\text{C-F}}$  = 32.2 Hz), 116.7;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.59 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{30}\text{H}_{21}\text{F}_3\text{NO}_2]^+ [\text{M}+\text{H}]^+$ : 484.1519, found 484.1521.

**(23) *N*-(1-(2-hydroxy-5-(trifluoromethyl)phenyl)-6-methoxynaphthalen-2-yl)benzamide (7w)**



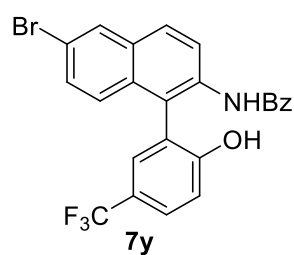
63 mg, 72% yield; White solid, m.p. = 171-172 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.43 (s, 1H), 9.64 (s, 1H), 7.92 (d,  $J$  = 8.8 Hz, 1H), 7.70 (d,  $J$  = 7.0 Hz, 3H), 7.64-7.59 (m, 2H), 7.54-7.47 (m, 2H), 7.45-7.40 (m, 3H), 7.34 (d,  $J$  = 9.2 Hz, 1H), 7.22-7.12 (m, 2H), 3.90 (s, 3H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.5, 159.0, 157.5, 135.3, 133.4, 132.2, 131.8, 130.9, 129.6 (d,  $J_{\text{C-F}}$  = 3.7 Hz), 128.7, 127.84, 127.75, 127.5, 127.1, 126.9 (d,  $J_{\text{C-F}}$  = 3.5 Hz), 125.2 (q,  $J_{\text{C-F}}$  = 272.2 Hz), 124.1, 119.9 (q,  $J_{\text{C-F}}$  = 32.1 Hz), 119.2, 116.6, 106.8, 55.7;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.56 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{25}\text{H}_{19}\text{F}_3\text{NO}_3]^+ [\text{M}+\text{H}]^+$ : 438.1312, found 438.1315.

**(24) *N*-(6-(benzyloxy)-1-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-2-yl)-benzamide (7x)**



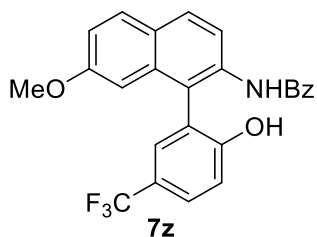
64 mg, 62% yield; White solid, m.p. = 222-224 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.45 (s, 1H), 9.66 (s, 1H), 7.91 (d,  $J$  = 8.8 Hz, 1H), 7.76-7.66 (m, 3H), 7.62 (dd,  $J$  = 8.6, 2.0 Hz, 1H), 7.57-7.49 (m, 5H), 7.45-7.39 (m, 4H), 7.38-7.33 (m, 2H), 7.25-7.17 (m, 2H), 5.27 (s, 2H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.5, 159.1, 156.5, 137.4, 135.2, 133.3, 132.3, 131.8, 130.9, 129.8 (d,  $J_{\text{C-F}}$  = 3.6 Hz), 128.9, 128.7, 128.4, 128.3, 127.94, 127.85, 127.8, 127.5, 127.1, 126.9 (d,  $J_{\text{C-F}}$  = 3.6 Hz), 125.2 (q,  $J_{\text{C-F}}$  = 271.0 Hz), 124.0, 119.9 (q,  $J_{\text{C-F}}$  = 32.0 Hz), 119.5, 116.6, 108.1, 69.9;  $^{19}\text{F NMR}$  (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.33 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{31}\text{H}_{23}\text{F}_3\text{NO}_3]^+$   $[\text{M}+\text{H}]^+$ : 514.1625, found 514.1623.

**(25) *N*-(6-bromo-1-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (7y)**



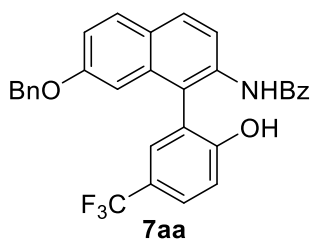
67 mg, 68% yield; White solid, m.p. = 199-201 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.51 (s, 1H), 9.72 (s, 1H), 8.30 (d,  $J$  = 1.9 Hz, 1H), 8.02 (d,  $J$  = 8.8 Hz, 1H), 7.83 (d,  $J$  = 8.8 Hz, 1H), 7.71-7.58 (m, 4H), 7.55-7.50 (m, 2H), 7.43 (t,  $J$  = 7.6 Hz, 2H), 7.36 (d,  $J$  = 9.0 Hz, 1H), 7.19 (d,  $J$  = 8.6 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.4, 159.1, 135.0, 134.9, 133.2, 131.9, 131.1, 130.6, 130.2, 129.8, 129.6 (d,  $J_{\text{C-F}}$  = 3.5 Hz), 128.7, 128.6, 127.9, 127.8, 127.7, 127.2 (d,  $J_{\text{C-F}}$  = 3.5 Hz), 125.2 (q,  $J_{\text{C-F}}$  = 271.0 Hz), 123.2, 120.0 (q,  $J_{\text{C-F}}$  = 32 Hz), 119.3, 116.7;  $^{19}\text{F NMR}$  (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.56 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{24}\text{H}_{15}\text{BrF}_3\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 486.0311, found 486.0311.

**(26) *N*-(1-(2-hydroxy-5-(trifluoromethyl)phenyl)-7-methoxynaphthalen-2-yl)benzamide (7z)**



43 mg, 49% yield; White solid, m.p. = 192-194 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.47 (s, 1H), 9.59 (s, 1H), 7.93 (dd,  $J$  = 8.8, 4.2 Hz, 2H), 7.70-7.60 (m, 4H), 7.55-7.49 (m, 2H), 7.43 (t,  $J$  = 7.6 Hz, 2H), 7.24-7.17 (m, 2H), 6.74 (d,  $J$  = 2.4 Hz, 1H), 3.67 (s, 3H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.2, 156.0, 157.9, 135.2, 134.9, 133.8, 131.9, 130.2, 129.8 (d,  $J_{\text{C-F}}$  = 3.5 Hz), 129.0, 128.7, 128.4, 127.7, 127.4, 126.9 (d,  $J_{\text{C-F}}$  = 3.6 Hz), 125.2 (q,  $J_{\text{C-F}}$  = 271.0 Hz), 123.9, 123.8, 120.0 (q,  $J_{\text{C-F}}$  = 31.9 Hz), 117.2 (d,  $J_{\text{C-F}}$  = 118.3 Hz), 105.3, 55.3;  $^{19}\text{F NMR}$  (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.58 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{25}\text{H}_{19}\text{F}_3\text{NO}_3]^+ [\text{M}+\text{H}]^+$ : 438.1312, found 438.1310.

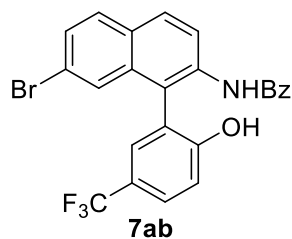
**(27) *N*-(7-(benzyloxy)-1-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (7aa)**



66 mg, 64% yield; White solid, m.p. = 177-179 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.41 (s, 1H), 9.57 (s, 1H), 7.93 (d,  $J$  = 8.9 Hz, 2H), 7.69-7.60 (m, 4H), 7.55-7.46 (m, 2H), 7.42 (t,  $J$  = 7.6 Hz, 2H), 7.34-7.25 (m, 6H), 7.20 (d,  $J$  = 8.5 Hz, 1H), 6.83 (d,  $J$  = 2.2 Hz, 1H), 5.01 (d,  $J$  = 2.4 Hz, 2H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.2, 159.0, 157.0, 137.1, 135.2, 134.9, 133.8, 131.9, 130.2, 129.7 (d,  $J_{\text{C-F}}$  = 4.0 Hz), 129.1, 128.9, 128.7, 128.3, 128.2, 127.7, 127.5, 126.9 (d,  $J_{\text{C-F}}$  = 3.8 Hz), 125.2 (q,  $J_{\text{C-F}}$  = 270.9 Hz), 123.9, 123.8, 120.0 (q,  $J_{\text{C-F}}$  = 32.0 Hz), 118.0, 116.8, 107.1, 69.9;  $^{19}\text{F NMR}$  (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.53 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{31}\text{H}_{23}\text{F}_3\text{NO}_3]^+ [\text{M}+\text{H}]^+$ : 514.1625, found 514.1627.

**(28) *N*-(7-bromo-1-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (7ab)**

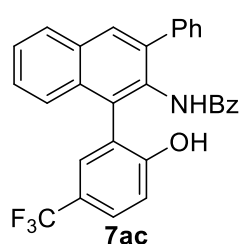
68 mg, 70% yield; White solid, m.p. = 218-220 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.55 (s, 1H), 9.75 (s, 1H), 8.07 (d,  $J$  = 8.8 Hz, 1H), 8.00 (d,  $J$  = 8.8 Hz, 1H), 7.85 (d,  $J$  = 8.8 Hz, 1H), 7.72-7.64 (m, 4H), 7.57-7.50 (m, 3H), 7.44 (t,  $J$  = 7.6 Hz, 2H), 7.21 (d,  $J$  = 8.6 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$



166.5, 159.1, 135.6, 135.0, 133.7, 132.0, 130.9, 130.5, 129.61 (d,  $J_{C-F} = 3.6$  Hz), 129.55, 128.9, 128.7, 128.0, 127.8, 127.3 (d,  $J_{C-F} = 3.6$  Hz), 127.1, 125.1 (q,  $J_{C-F} = 270.9$  Hz), 123.1, 120.4, 120.1 (q,  $J_{C-F} = 32.0$  Hz), 116.8;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.60 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{24}\text{H}_{15}\text{BrF}_3\text{NO}_2]^+$

$[\text{M}+\text{H}]^+$ : 486.0311, found 486.0307.

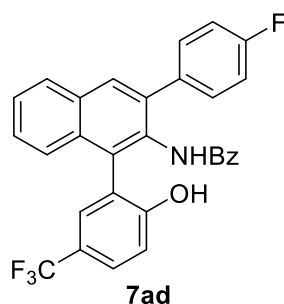
**(29) *N*-(1-(2-hydroxy-5-(trifluoromethyl)phenyl)-3-phenylnaphthalen-2-yl)benzamide (7ac)**



87 mg, 90% yield; White solid, m.p. = 224-225 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.30 (s, 1H), 9.82 (s, 1H), 8.11-7.99 (m, 2H), 7.66-7.59 (m, 3H), 7.58-7.54 (m, 2H), 7.50-7.36 (m, 7H), 7.34-7.28 (m, 3H), 7.14 (d,  $J = 8.5$  Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  156.0, 140.1, 139.9, 135.4,

135.3, 132.7, 132.6, 131.9, 131.4, 129.6, 129.5, 129.0, 128.6, 128.40, 128.37, 127.6, 127.5, 126.9, 126.8, 126.5, 125.3 (q,  $J_{C-F} = 270.9$  Hz), 124.8, 119.7 (q,  $J_{C-F} = 32.1$  Hz), 116.3;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.55 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{30}\text{H}_{21}\text{F}_3\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 484.1519, found 484.1517.

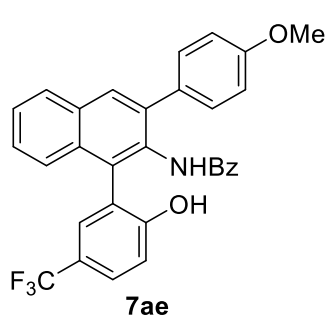
**(30) *N*-(3-(4-fluorophenyl)-1-(2-hydroxy-5-(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (7ad)**



85 mg, 85% yield; White solid, m.p. = 228-230 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.32 (s, 1H), 9.84 (s, 1H), 8.14-7.97 (m, 2H), 7.71-7.53 (m, 5H), 7.51-7.38 (m, 5H), 7.32 (t,  $J = 7.6$  Hz, 2H), 7.24 (t,  $J = 8.9$  Hz, 2H), 7.14 (d,  $J = 8.4$  Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  162.1 (d,  $J_{C-F} = 243.9$  Hz), 159.0, 138.8, 136.5, 135.3 (d,

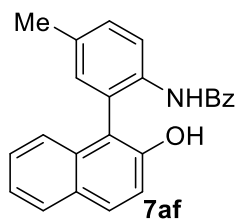
$J_{C-F} = 2.52$  Hz), 132.6 (d,  $J_{C-F} = 15.4$  Hz), 131.9, 131.5, 131.4, 129.6, 129.1, 128.6, 128.5, 127.5, 126.9, 126.8, 126.5, 125.2 (q,  $J_{C-F} = 270.9$  Hz), 124.6, 119.8 (q,  $J_{C-F} = 31.9$  Hz), 116.3, 115.3, 115.1;  $^{19}\text{F}$  NMR (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.57 (s), -115.51 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{30}\text{H}_{20}\text{F}_4\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 502.1425, found 502.1425.

**(31) *N*-(1-(2-hydroxy-5-(trifluoromethyl)phenyl)-3-(4-methoxyphenyl)naphthalen-2-yl)benzamide (7ae)**



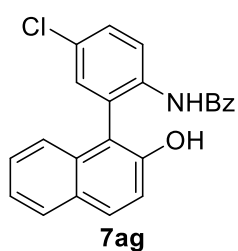
76 mg, 74% yield; White solid, m.p. = 249-251 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.50 (s, 1H), 9.69 (s, 1H), 7.97-7.90 (m, 1H), 7.75-7.63 (m, 4H), 7.59 (d,  $J$  = 1.8 Hz, 1H), 7.50 (dq,  $J$  = 11.1, 5.8, 4.5 Hz, 6H), 7.43 (t,  $J$  = 7.6 Hz, 2H), 7.23 (d,  $J$  = 8.5 Hz, 1H), 7.16 (d,  $J$  = 8.6 Hz, 2H), 3.86 (s, 3H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  166.4, 159.4, 159.2, 140.0, 135.2, 133.9, 133.1, 132.2, 131.9, 131.4, 130.1, 129.8 (d,  $J_{\text{C-F}}$  = 3.6 Hz), 129.5, 128.7, 127.8, 127.1 (d,  $J_{\text{C-F}}$  = 3.4 Hz), 126.8, 126.73, 126.70, 126.1, 125.2 (q,  $J_{\text{C-F}}$  = 270.9 Hz), 123.8, 120.1 (q,  $J_{\text{C-F}}$  = 32.0 Hz), 116.7, 114.6, 55.7;  $^{19}\text{F NMR}$  (471 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  -59.53 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{31}\text{H}_{23}\text{F}_3\text{NO}_3]^+$   $[\text{M}+\text{H}]^+$ : 514.1625, found 514.1622.

**(32) *N*-(2-(2-hydroxynaphthalen-1-yl)-4-methylphenyl)benzamide (7af)**



27 mg, 37% yield; White solid, m.p. = 198-200 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.03 (s, 1H), 8.75 (s, 1H), 7.99 (d,  $J$  = 8.2 Hz, 1H), 7.86 (dd,  $J$  = 16.3, 8.4 Hz, 2H), 7.46-7.24 (m, 10H), 7.15 (s, 1H), 2.37 (s, 3H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.1, 152.5, 135.3, 134.6, 134.1, 133.8, 132.9, 131.9, 130.1, 129.7, 128.9, 128.8, 128.7, 128.5, 127.2, 126.9, 124.7, 124.1, 123.2, 118.6, 117.4, 21.1; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{24}\text{H}_{20}\text{NO}_2]^+$   $[\text{M}+\text{H}]^+$ : 354.1489, found 354.1494.

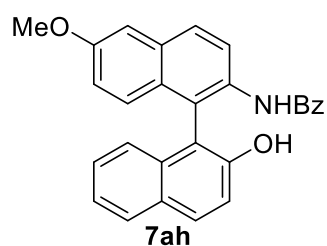
**(33) *N*-(4-chloro-2-(2-hydroxynaphthalen-1-yl)phenyl)benzamide (7ag)**



22 mg, 29% yield; White solid, m.p. = 223-224 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  10.13 (s, 1H), 8.91 (s, 1H), 8.22-7.72 (m, 3H), 7.41 (dd,  $J$  = 73.4, 45.0 Hz, 11H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.4, 152.8, 136.3, 135.0, 133.3, 132.04, 131.97, 130.7, 129.0, 128.8, 128.6, 128.5, 128.1, 127.4, 127.2, 126.0, 124.2, 123.3, 118.7, 115.9; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{23}\text{H}_{17}\text{ClNO}_2]^+$   $[\text{M}+\text{H}]^+$ : 374.0942, found 374.0938.

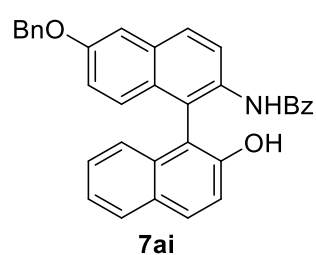


**(34) *N*-(2'-hydroxy-6-methoxy-[1,1'-binaphthalen]-2-yl)benzamide (7ah)**



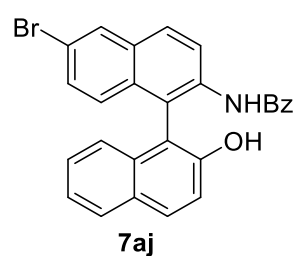
30 mg, 35% yield; White solid, m.p. = 195-197 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  9.92 (s, 1H), 8.72 (s, 1H), 8.26 (d,  $J$  = 8.8 Hz, 1H), 7.99 (t,  $J$  = 8.8 Hz, 2H), 7.89 (d,  $J$  = 8.0 Hz, 1H), 7.50-7.40 (m, 3H), 7.39-7.16 (m, 6H), 7.10-6.91 (m, 3H), 3.88 (s, 3H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.4, 157.2, 153.6, 135.2, 134.0, 133.0, 132.8, 132.0, 130.6, 128.9, 128.6, 128.4, 127.9, 127.3, 127.2, 127.1, 125.7, 124.6, 124.0, 123.3, 119.2, 119.0, 114.4, 106.9, 55.7; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{28}\text{H}_{22}\text{NO}_3]^+ [\text{M}+\text{H}]^+$ : 420.1594, found 420.1595.

**(35) *N*-(6-(benzyloxy)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7ai)**



43 mg, 43% yield; White solid, m.p. = 240-241 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  9.88 (s, 1H), 8.71 (s, 1H), 8.22 (d,  $J$  = 8.9 Hz, 1H), 8.02-7.83 (m, 3H), 7.60-7.48 (m, 3H), 7.48-7.15 (m, 11H), 7.11-6.99 (m, 2H), 6.93 (d,  $J$  = 8.4 Hz, 1H), 5.24 (s, 2H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.4, 156.3, 153.6, 137.5, 135.2, 133.9, 133.1, 132.7, 132.0, 130.6, 128.93, 128.91, 128.6, 128.5, 128.4, 128.3, 128.0, 127.3, 127.2, 127.1, 125.7, 124.6, 124.1, 123.3, 119.5, 118.9, 114.4, 108.2, 69.8; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{34}\text{H}_{26}\text{NO}_3]^+ [\text{M}+\text{H}]^+$ : 496.1907, found 496.1911.

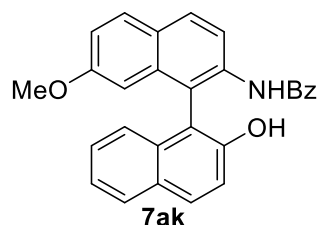
**(36) *N*-(6-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7aj)**



35 mg, 37% yield; White solid, m.p. = 224-226 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1\text{H NMR}$  (500 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  9.97 (s, 1H), 8.80 (s, 1H), 8.39-8.25 (m, 2H), 8.09 (d,  $J$  = 9.0 Hz, 1H), 8.00 (d,  $J$  = 8.9 Hz, 1H), 7.90 (d,  $J$  = 8.0 Hz, 1H), 7.44 (t,  $J$  = 8.4 Hz, 3H), 7.35-7.16 (m, 6H), 7.07 (d,  $J$  = 9.0 Hz, 1H), 6.92 (d,  $J$  = 8.4 Hz, 1H);  $^{13}\text{C NMR}$  (126 MHz,  $\text{DMSO-}d_6$ ):  $\delta$  165.5, 153.8, 135.6, 135.0, 133.8, 132.7, 132.1, 131.8, 130.9, 130.3, 129.7, 128.9, 128.7, 128.63, 128.60, 127.7,

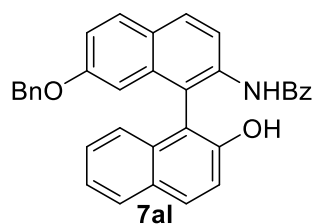
127.3, 125.5, 124.6, 124.3, 123.4, 119.0, 118.7, 113.5; HRMS (ESI)  $m/z$  calcd for  $[C_{27}H_{19}BrNO_2]^+ [M+H]^+$ : 468.0594, found 468.0595.

**(37) *N*-(2'-hydroxy-7-methoxy-[1,1'-binaphthalen]-2-yl)benzamide (7ak)**



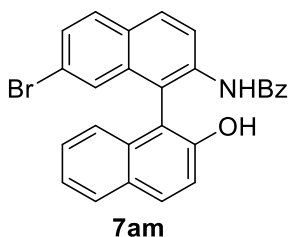
58 mg, 68% yield; White solid, m.p. = 207-209 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.96 (s, 1H), 8.67 (s, 1H), 8.24 (d,  $J$  = 8.7 Hz, 1H), 8.10-7.84 (m, 4H), 7.46 (dd,  $J$  = 35.9, 7.4 Hz, 2H), 7.37-7.13 (m, 7H), 7.01 (d,  $J$  = 8.2 Hz, 1H), 6.49 (s, 1H), 3.44 (s, 3H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  165.3, 158.0, 153.7, 135.6, 135.1, 134.5, 133.7, 132.1, 130.8, 130.3, 129.0, 128.71, 128.68, 128.3, 127.1, 126.9, 124.5, 123.8, 123.4, 120.6, 119.0, 117.1, 114.2, 105.7, 55.2; HRMS (ESI)  $m/z$  calcd for  $[C_{28}H_{22}NO_3]^+ [M+H]^+$ : 420.1594, found 420.1595.

**(38) *N*-(7-(benzyloxy)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7al)**



61 mg, 62% yield; White solid, m.p. = 195-196 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.88 (s, 1H), 8.64 (s, 1H), 8.21 (d,  $J$  = 8.8 Hz, 1H), 8.01 (dd,  $J$  = 8.7, 7.2 Hz, 2H), 7.98-7.92 (m, 2H), 7.50-7.40 (m, 2H), 7.35-7.17 (m, 10H), 7.12 (dd,  $J$  = 6.6, 2.9 Hz, 2H), 6.95 (d,  $J$  = 8.5 Hz, 1H), 6.56 (d,  $J$  = 2.4 Hz, 1H), 4.78 (s, 2H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  165.2, 157.0, 153.7, 136.9, 135.5, 135.1, 134.4, 133.7, 132.1, 130.8, 130.2, 129.0, 128.8, 128.70, 128.69, 128.3, 128.2, 127.1, 126.9, 124.5, 123.7, 123.4, 120.6, 119.0, 117.4, 114.1, 107.4, 69.8; HRMS (ESI)  $m/z$  calcd for  $[C_{34}H_{26}NO_3]^+ [M+H]^+$ : 496.1907, found 496.1905.

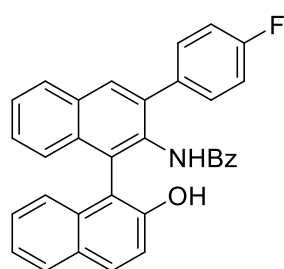
**(39) *N*-(7-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7am)**



49 mg, 52% yield; White solid, m.p. = 228-230 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.02 (s, 1H), 8.83 (s, 1H), 8.37 (d,  $J$  = 8.9 Hz, 1H), 8.13 (d,  $J$  = 8.9 Hz, 1H), 8.01 (dd,  $J$  = 8.7, 6.1 Hz, 2H), 7.92 (d,  $J$  = 8.0 Hz, 1H), 7.59 (dd,  $J$  = 8.7, 1.7 Hz, 1H), 7.50-7.38 (m, 2H), 7.32-7.18 (m, 7H), 6.96 (d,  $J$  = 8.4 Hz, 1H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  165.6, 153.9,

136.2, 135.0, 134.4, 133.6, 132.1, 131.1, 131.0, 130.0, 128.9, 128.8, 128.58, 128.56, 128.4, 127.9, 127.4, 127.3, 124.5, 124.2, 124.1, 123.5, 120.4, 119.0, 113.2; HRMS (ESI)  $m/z$  calcd for  $[C_{27}H_{19}BrNO_2]^+$   $[M+H]^+$ : 468.0594, found 468.0598.

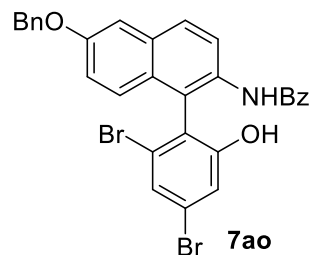
**(40) *N*-(3-(4-fluorophenyl)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (7an)**



**7an**

73 mg, 76% yield; White solid, m.p. = 264-266 °C;  $R_f$  = 0.2 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  9.47 (d,  $J$  = 21.5 Hz, 2H), 8.09 (t,  $J$  = 3.9 Hz, 2H), 7.88-7.68 (m, 4H), 7.53 (t,  $J$  = 7.5 Hz, 1H), 7.39-7.29 (m, 3H), 7.16 (dtd,  $J$  = 49.2, 16.9, 16.0, 8.7 Hz, 10H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.6, 161.9 (d,  $J_{C-F}$  = 243.5 Hz), 153.3, 138.7, 137.0, 135.5, 134.2, 133.64, 133.60, 132.9, 132.7, 131.4, 131.3, 131.2, 129.7, 129.3, 128.7, 128.3, 128.1, 127.4, 126.7, 126.5 (d,  $J_{C-F}$  = 14.5 Hz), 126.3, 125.5, 123.0, 118.9, 116.4, 115.1 (d,  $J_{C-F}$  = 21.2 Hz);  $^{19}F$  NMR (471 MHz, DMSO- $d_6$ ):  $\delta$  -115.87 (s); HRMS (ESI)  $m/z$  calcd for  $[C_{33}H_{23}FNO_2]^+$   $[M+H]^+$ : 484.1707, found 484.1710.

**(41) *N*-(6-(benzyloxy)-1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide (7ao)**

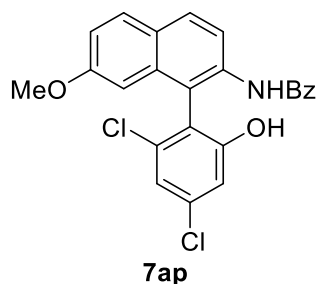


**7ao**

46 mg, 38% yield; White solid, m.p. = 229-230 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.32 (s, 1H), 9.19 (s, 1H), 7.97-7.85 (m, 2H), 7.71-7.64 (m, 2H), 7.58-7.39 (m, 9H), 7.36 (t,  $J$  = 7.3 Hz, 1H), 7.19 (dd,  $J$  = 5.3, 1.5 Hz, 3H), 5.25 (s, 2H);  $^{13}C$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.0, 158.4, 156.4, 137.5, 135.6, 132.70, 132.67, 131.9, 128.9, 128.8, 128.4, 128.3, 127.9, 127.5, 127.4, 127.2, 126.9, 125.5, 125.3, 123.9, 122.3, 119.6, 118.3, 108.2, 69.9; HRMS (ESI)  $m/z$  calcd for  $[C_{30}H_{22}Br_2NO_3]^+$   $[M+H]^+$ : 601.9961, found 601.9961.

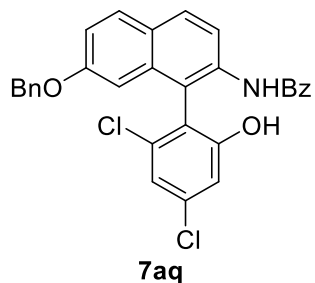
**(42) *N*-(1-(2,4-dichloro-6-hydroxyphenyl)-7-methoxynaphthalen-2-yl)benzamide (7ap)**

41 mg, 47% yield; White solid, m.p. = 198-200 °C;  $R_f$  = 0.3 (DCM/EA = 50/1);  $^1H$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.37 (s, 1H), 9.30 (s, 1H), 7.92 (t,  $J$  = 8.5 Hz, 2H),



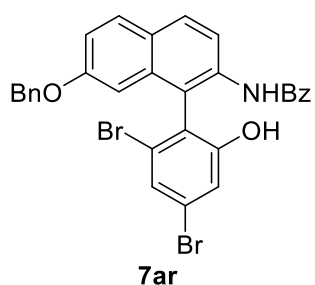
7.81 (d,  $J = 8.8$  Hz, 1H), 7.67 (d,  $J = 7.2$  Hz, 2H), 7.54 (t,  $J = 7.4$  Hz, 1H), 7.46 (t,  $J = 7.5$  Hz, 2H), 7.25-7.15 (m, 2H), 7.03 (d,  $J = 1.9$  Hz, 1H), 6.59 (d,  $J = 2.3$  Hz, 1H), 3.69 (s, 3H);  $^{13}\text{C}$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.0, 158.3, 158.1, 136.3, 135.6, 135.5, 133.8, 133.5, 131.9, 130.2, 128.8, 128.5, 127.9, 126.9, 124.2, 122.4, 121.6, 120.1, 117.4, 115.0, 104.7, 55.5; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{24}\text{H}_{18}\text{Cl}_2\text{NO}_3]^+$   $[\text{M}+\text{H}]^+$ : 438.0658, found 438.0659.

**(43) N-(7-(benzyloxy)-1-(2,4-dichloro-6-hydroxyphenyl)naphthalen-2-yl)benzami-de (7aq)**



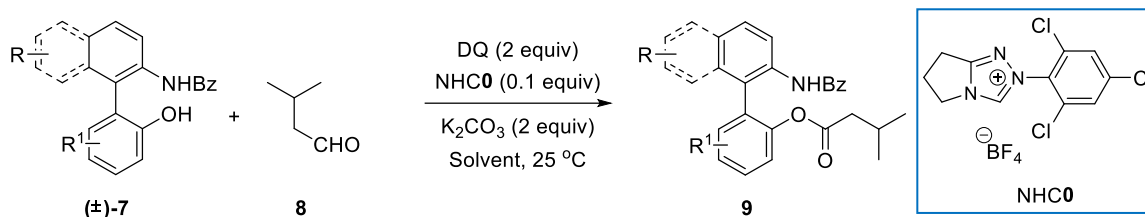
53 mg, 52% yield; White solid, m.p. = 190-192 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.34 (s, 1H), 9.28 (s, 1H), 7.95-7.81 (m, 3H), 7.68 (d,  $J = 7.3$  Hz, 2H), 7.50 (dt,  $J = 40.2, 7.4$  Hz, 3H), 7.37-7.17 (m, 7H), 7.05 (d,  $J = 1.8$  Hz, 1H), 6.68 (d,  $J = 2.0$  Hz, 1H), 5.13-4.96 (m, 2H);  $^{13}\text{C}$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.0, 158.2, 157.2, 137.2, 136.4, 135.5, 135.5, 133.9, 133.5, 131.9, 130.2, 128.9, 128.8, 128.4, 128.3, 128.2, 127.9, 127.0, 124.2, 122.5, 121.5, 120.1, 117.8, 115.0, 106.5, 70.0; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{30}\text{H}_{22}\text{Cl}_2\text{NO}_3]^+$   $[\text{M}+\text{H}]^+$ : 514.0971, found 514.0974.

**(44) N-(7-(benzyloxy)-1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzami-de (7ar)**



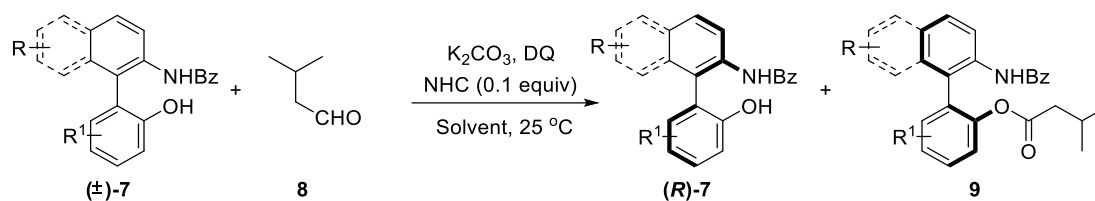
59 mg, 49% yield; White solid, m.p. = 179-181 °C;  $R_f = 0.3$  (DCM/EA = 50/1);  $^1\text{H}$  NMR (500 MHz, DMSO- $d_6$ ):  $\delta$  10.29 (s, 1H), 9.12 (s, 1H), 7.95-7.85 (m, 3H), 7.69-7.61 (m, 2H), 7.58-7.51 (m, 1H), 7.49-7.44 (m, 3H), 7.37-7.29 (m, 5H), 7.26-7.20 (m, 2H), 6.64 (d,  $J = 2.5$  Hz, 1H), 5.03 (d,  $J = 2.7$  Hz, 3H);  $^{13}\text{C}$  NMR (126 MHz, DMSO- $d_6$ ):  $\delta$  166.0, 158.4, 157.2, 137.2, 135.5, 135.2, 133.4, 132.0, 130.2, 128.9, 128.43, 128.35, 128.2, 127.9, 127.1, 126.9, 125.8, 125.5, 123.7, 122.4, 122.0, 118.4, 117.7, 106.5, 70.1; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{30}\text{H}_{22}\text{Br}_2\text{NO}_3]^+$   $[\text{M}+\text{H}]^+$ : 601.9961, found 601.9961.

## 7. General procedure for the synthesis of racemic compounds **9**



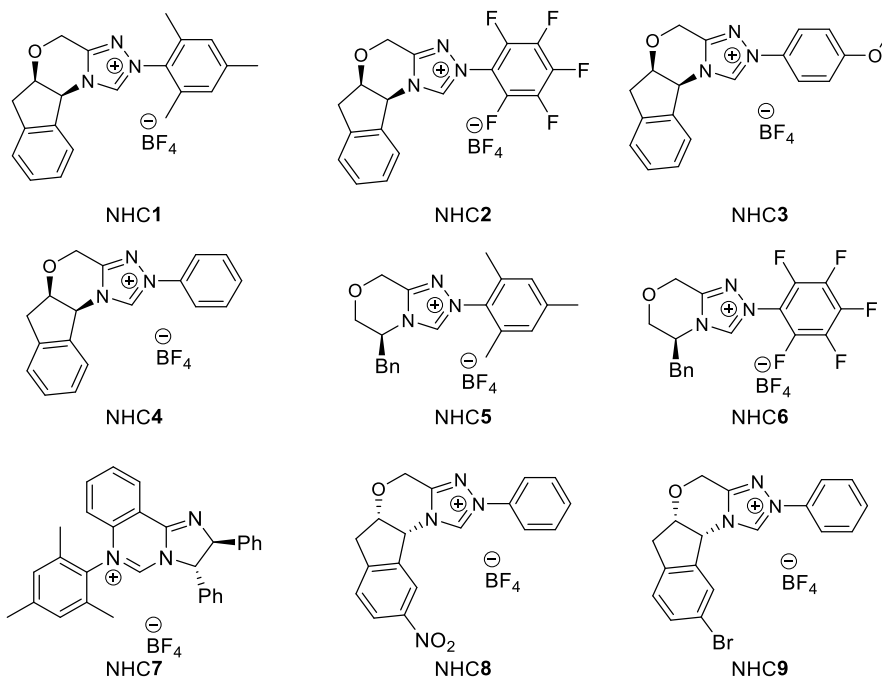
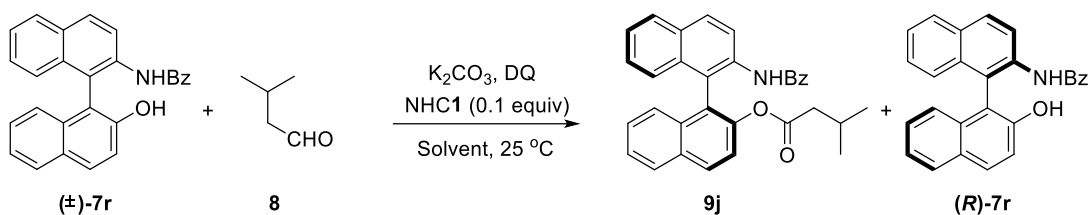
To a solution of racemic **7** (0.05 mmol, 1 eq.), NHC0 (10 mol%), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.). The mixture was then stirred at 25 °C and monitored by TLC until **7** was full consumed. The mixture was concentrated under reduced pressure and purified by via column chromatography on silica gel (PE/EtOAc = 12/1, v/v) to give the product racemic **9**.

## 8. General procedure for the synthesis of chiral compounds **7** and **9**



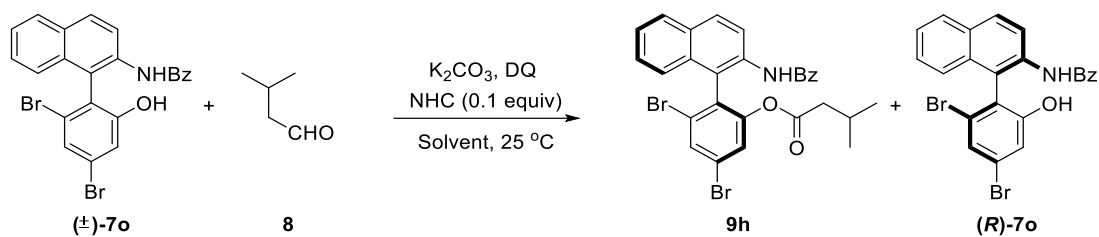
To a solution of racemic **7** (0.05 mmol, 1.0 eq.), NHC1 (10 mol%), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After achieving appropriate conversion as indicated by TLC analysis of the reaction mixture, the mixture was directly purified by flash column chromatography (PE/EtOAc = 12/1 → DCM/EtOAc = 10/1, v/v) to give the recovered (*R*)-**7** and product **9**.

## Optimization of chiral reaction conditions [a]



Entry	8	Base	Oxidant	Catalyst (10 mol%)	Solvent	Time /h	9j/Yield (%) <sup>[b]</sup>	ee (%) <sup>[c]</sup>	(R)-7r /Yield (%) <sup>[b]</sup>	ee (%) <sup>[c]</sup>
1	0.7 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	Toluenen (0.025M)	13	54	66	50	80
2	0.7 eq.	$K_2CO_3$ (1.5 eq.)	DQ (1.5 eq.)	NHC1	DCE/Toluenen = 1:1 (0.025M)	13	38	88	55	72
3	0.7 eq.	$K_2CO_3$ (1.5 eq.)	DQ (1.5 eq.)	NHC1	DCE/Toluenen = 1:3 (0.02M)	13	46	77	50	76
4	0.7 eq.	$K_2CO_3$ (1.5 eq.)	DQ (1.5 eq.)	NHC1	DCE (0.025M)	13	13	97	70	65
5	1.5 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.025M)	12	33	93	65	85
6	1.5 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.025M)	16	42	89	55	86
7	1.5 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.025M)	36	84	0	20	16
8	1.5 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.05M)	12	38	90	60	87
9	1.5 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.05M)	13	38	89	60	71
10	1.5 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.05M)	16	42	81	55	79
11	2 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE = 1 mL	12	33	94	60	77
12	3 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.05M)	13	33	91	40	78
13	4 eq.	$K_2CO_3$ (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE (0.05M)	13	50	63	40	80
14	2 eq.	$K_2CO_3$ (1.5 eq.)	DQ (1.5 eq.)	NHC1	DCE (0.1M)	13	34	84	60	84
15	2 eq.	$K_2CO_3$ (1.5 eq.)	DQ (1.5 eq.)	NHC1	DCE (0.05M)	13	42	91	55	83
16	2 eq.	$K_2CO_3$ (1.5 eq.)	DQ (1.5 eq.)	NHC1	DCE (0.025M)	13	38	95	55	85
17	4 eq.	$K_2CO_3$ (2 eq.)	DQ (2 eq.)	NHC1	DCE (0.025M)	13	58	83	45	97
18	5 eq.	$K_2CO_3$ (2 eq.)	DQ (2 eq.)	NHC1	DCE (0.025M)	13	67	49	35	99

[a] Conditions: **7r** (0.05 mmol), **8**, base, DQ, catalyst (10 mol%), solvent, 25 °C. [b] Isolated yields after  $SiO_2$  column chromatography. [c] Enantiomeric ratio determined via chiral-phase HPLC analysis.



Entry	8	Base	Oxidant	Catalyst (10 mol%)	Solvent	Time /h	9h/Yield (%) <sup>[b]</sup>	ee (%) <sup>[c]</sup>	(R)-7o/Yield (%) <sup>[b]</sup>	ee (%) <sup>[c]</sup>
1	0.6 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 2:1 (0.025M)	45	7	95	96	15
2	0.7 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 2:1 (0.025M)	45	14	95	82	15
3	0.8 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 2:1 (0.025M)	45	17	96	80	30
4	0.6 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	Toluene (0.025M)	45	24	95	76	34
5	0.6 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	45	14	95	84	37
6	0.6 eq.	K <sub>2</sub> CO <sub>3</sub> (3 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.05M)	45	24	89	72	31
7	0.7 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	Toluene (0.025M)	43	31	93	68	43
8	0.7 eq.	K <sub>2</sub> CO <sub>3</sub> (1.5 eq.)	DQ (2 eq.)	NHC1	Toluene (0.025M)	42	24	93	72	43
9	0.7 eq.	K <sub>2</sub> CO <sub>3</sub> (1.5 eq.)	DQ (1.5 eq.)	NHC1	Toluene (0.025M)	42	44	95	52	43
15	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC2	DCE/Toluene = 1:1 (0.025M)	40	90	6	trace	~
16	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC3	DCE/Toluene = 1:1 (0.025M)	40	93	7	trace	~
17	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC4	DCE/Toluene = 1:1 (0.025M)	40	trace	~	~	~
18	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC5	DCE/Toluene = 1:1 (0.025M)	40	trace	~	~	~
19	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC6	DCE/Toluene = 1:1 (0.025M)	40	trace	~	~	~
20	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC7	DCE/Toluene = 1:1 (0.025M)	40	N.P.	~	~	~
21	4 eq.	K <sub>2</sub> CO <sub>3</sub> (1.5 eq.)	DQ (1.2 eq.)	NHC8	DCE/Toluene = 1:1 (0.025M)	43	90	13	4	-89
22	4 eq.	K <sub>2</sub> CO <sub>3</sub> (1.5 eq.)	DQ (1.2 eq.)	NHC9	DCE/Toluene = 1:1 (0.025M)	43	69	23	24	-97
23	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	12	11	97	88	7
24	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	24	11	97	80	29
25	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	36	18	94	80	31
26	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	40	21	95	74	31
27	1.5 eq.	K <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	48	34	92	68	47
28	1.5 eq.	DIPEA (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	36	14	97	84	25
29	1.5 eq.	DBU (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	36	14	5	72	10
30	1.5 eq.	Cs <sub>2</sub> CO <sub>3</sub> (1.2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	36	59	28	38	72
31	3 eq.	K <sub>2</sub> CO <sub>3</sub> (2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	60	55	56	44	98
32	4 eq.	K <sub>2</sub> CO <sub>3</sub> (2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	45	38	86	52	77
33	5 eq.	K <sub>2</sub> CO <sub>3</sub> (2 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 1:1 (0.025M)	45	48	80	48	87
34	6 eq.	K <sub>2</sub> CO <sub>3</sub> (1.5 eq.)	DQ (1.2 eq.)	NHC1	DCE/Toluene = 3:2 (0.02M)	45	45	83	52	85
<b>35</b>	<b>6 eq.</b>	<b>K<sub>2</sub>CO<sub>3</sub> (1.5 eq.)</b>	<b>DQ (1.2 eq.)</b>	<b>NHC1</b>	<b>DCE/Toluene = 4:3 (0.014M)</b>	<b>45</b>	<b>48</b>	<b>92</b>	<b>48</b>	<b>98</b>

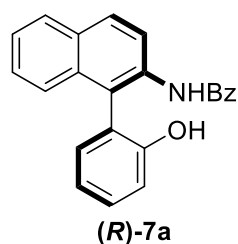
[a] Conditions: **7o** (0.05 mmol), **8**, base, DQ, catalyst (10 mol%), solvent, 25 °C. [b] Isolated yields after SiO<sub>2</sub> column chromatography. [c] Enantiomeric ratio determined via chiral-phase HPLC analysis.

## 10. Analytical data of chiral products

### (1) NHC-catalyzed kinetic resolution of racemic **7a** with isovaleraldehyde **8**

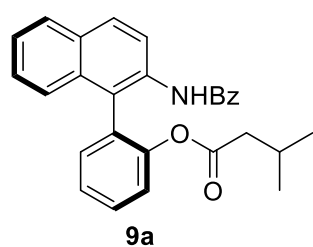
To a solution of racemic **7a** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 12 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7a** (7 mg, 41% yield) and product **9a** (12 mg, 57% yield).

### (**R**)-*N*-(1-(2-hydroxyphenyl)naphthalen-2-yl)benzamide ((**R**)-**7a**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.105$  min,  $t_{\text{minor}} = 4.109$  min, ee = 99%;  $[\alpha]_D^{18} = -0.035$  (c = 0.17, CHCl<sub>3</sub>).

### (**S**)-2-(2-benzamidonaphthalen-1-yl)phenyl 3-methylbutanoate (**9a**)



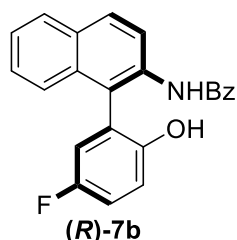
Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.23 (d,  $J = 9.0$  Hz, 1H), 8.13 (s, 1H), 7.85 (d,  $J = 9.0$  Hz, 1H), 7.80-7.76 (m, 1H), 7.67-7.59 (m, 2H), 7.47 (td,  $J = 7.8, 1.8$  Hz, 1H), 7.41-7.33 (m, 2H), 7.33-7.25 (m, 5H), 7.23-7.16 (m, 2H), 1.94-1.78 (m, 2H), 1.48 (dp,  $J = 13.4, 6.7$  Hz, 1H), 0.39 (dd,  $J = 6.7, 5.6$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.8, 165.4, 149.6, 134.5, 134.0, 132.5, 132.4, 131.6, 131.0, 130.1, 129.9, 129.0, 128.6, 127.9, 127.3, 127.1, 126.5, 125.4, 125.1, 122.9, 122.7, 42.8, 25.4, 21.8, 21.7; HRMS (ESI)  $m/z$  calcd for [C<sub>28</sub>H<sub>26</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 424.1907, found 424.904; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.732$  min,  $t_{\text{minor}} = 5.139$  min, ee = 71%;  $[\alpha]_D^{17} = +0.107$  (c = 0.40, CHCl<sub>3</sub>).



## (2) NHC-catalyzed kinetic resolution of racemic **7b** with isovaleraldehyde **8**

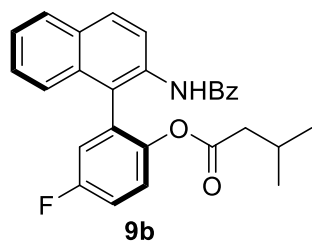
To a solution of racemic **7b** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 41 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7b** (7 mg, 39% yield) and product **9b** (13 mg, 59% yield).

### (*R*)-*N*-(1-(5-fluoro-2-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-**7b**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 10.551$  min,  $t_{\text{minor}} = 7.507$  min, ee = 99%;  $[\alpha]_D^{16} = -0.056$  ( $c = 0.13$ , CHCl<sub>3</sub>).

### (*S*)-2-(2-benzamidonaphthalen-1-yl)-4-fluorophenyl 3-methylbutanoate (**9b**)

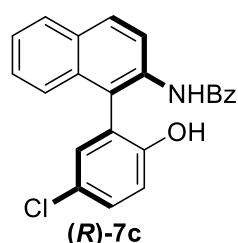


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):  $\delta$  8.18 (d,  $J = 8.9$  Hz, 1H), 8.13 (s, 1H), 7.85 (d,  $J = 9.0$  Hz, 1H), 7.78 (dd,  $J = 8.0, 1.3$  Hz, 1H), 7.72-7.66 (m, 2H), 7.44-7.28 (m, 5H), 7.22-7.12 (m, 3H), 6.98 (dt,  $J = 8.3, 1.8$  Hz, 1H), 1.96-1.79 (m, 2H), 1.48 (dp,  $J = 13.7, 6.9$  Hz, 1H), 0.39 (t,  $J = 6.5$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>):  $\delta$  173.0, 165.5, 160.8 (d,  $J_{C-F} = 247.7$  Hz), 145.6 (d,  $J_{C-F} = 3.0$  Hz), 134.3, 134.0, 132.1, 131.8, 131.8, 131.0, 129.4, 128.7, 128.0, 127.2, 126.8, 125.4, 125.1, 124.3 (d,  $J_{C-F} = 8.9$  Hz), 124.2, 122.9, 119.0 (d,  $J_{C-F} = 23.1$  Hz), 116.9 (d,  $J_{C-F} = 23.2$  Hz), 42.7, 25.4, 21.8, 21.7; <sup>19</sup>F NMR (471 MHz, CDCl<sub>3</sub>):  $\delta$  -114.68 (s); HRMS (ESI)  $m/z$  calcd for [C<sub>28</sub>H<sub>25</sub>FNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 442.1813, found 442.1817; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 16.691$  min,  $t_{\text{minor}} = 9.793$  min, ee = 70%;  $[\alpha]_D^{15} = +0.132$  ( $c = 0.33$ , CHCl<sub>3</sub>).

### (3) NHC-catalyzed kinetic resolution of racemic **7c** with isovaleraldehyde **8**

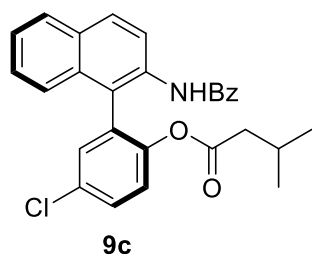
To a solution of racemic **7c** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 22 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7c** (9 mg, 47% yield) and product **9c** (11 mg, 47% yield).

#### (**R**)-*N*-(1-(5-chloro-2-hydroxyphenyl)naphthalen-2-yl)benzamide ((**R**)-**7c**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.248$  min,  $t_{\text{minor}} = 6.907$  min, ee = 93%;  $[\alpha]_D^{16} = +0.014$  (c = 0.10, CHCl<sub>3</sub>).

#### (**S**)-2-(2-benzamidonaphthalen-1-yl)-4-chlorophenyl 3-methylbutanoate (**9c**)

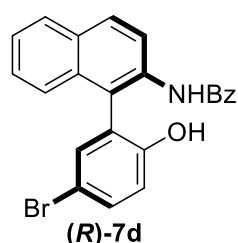


White solid, m.p. = 88-90 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.20 (d,  $J = 8.9$  Hz, 1H), 8.09 (s, 1H), 7.86 (d,  $J = 9.0$  Hz, 1H), 7.82-7.74 (m, 1 H), 7.74-7.63 (m, 2H), 7.48-7.30 (m, 6H), 7.28 (d,  $J = 2.6$  Hz, 1H), 7.21-7.16 (m, 1H), 7.13 (d,  $J = 8.7$  Hz, 1H), 1.98-1.78 (m, 2H), 1.48 (dp,  $J = 13.6, 6.8$  Hz, 1H), 0.39 (dd,  $J = 6.7, 5.6$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.7, 165.5, 148.2, 134.4, 134.0, 132.6, 132.2, 132.1, 131.79, 131.76, 131.0, 130.2, 129.4, 128.7, 128.0, 127.2, 126.8, 125.3, 125.1, 124.2, 122.8, 42.6, 25.4, 21.8, 21.7; HRMS (ESI)  $m/z$  calcd for [C<sub>28</sub>H<sub>25</sub>ClNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 458.1517, found 458.1517; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 17.621$  min,  $t_{\text{minor}} = 5.961$  min, ee = 95%;  $[\alpha]_D^{15} = +0.023$  (c = 0.30, CHCl<sub>3</sub>).

#### (4) NHC-catalyzed kinetic resolution of racemic **7d** with isovaleraldehyde **8**

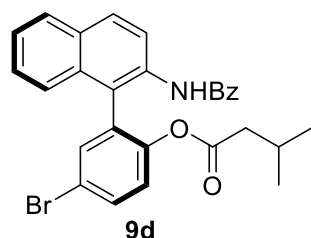
To a solution of racemic **7d** (0.05 mmol, 1 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 45 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7d** (10 mg, 48% yield) and product **9d** (13 mg, 51% yield).

#### (**R**)-*N*-(1-(5-bromo-2-hydroxyphenyl)naphthalen-2-yl)benzamide ((**R**)-**7d**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.232$  min,  $t_{\text{minor}} = 7.035$  min, ee = 90%;  $[\alpha]_D^{15} = +0.030$  (c = 0.13, CHCl<sub>3</sub>).

#### (**S**)-2-(2-benzamidonaphthalen-1-yl)-4-bromophenyl 3-methylbutanoate (**9d**)



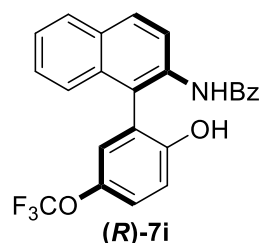
Yellow solid, m.p. = 108-109 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.20 (d,  $J = 8.9$  Hz, 1H), 8.08 (s, 1H), 7.86 (d,  $J = 9.0$  Hz, 1H), 7.83-7.75 (m, 1H), 7.75-7.66 (m, 2H), 7.59 (dd,  $J = 8.7, 2.4$  Hz, 1H), 7.46-7.30 (m, 6H), 7.21-7.16 (m, 1H), 7.07 (d,  $J = 8.6$  Hz, 1H), 1.97-1.79 (m, 2H), 1.48 (hept,  $J = 6.8$  Hz, 1H), 0.39 (dd,  $J = 6.7, 5.4$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.5, 165.6, 148.8, 135.1, 134.4, 134.1, 133.2, 132.1, 132.1, 131.8, 131.0, 129.4, 128.7, 128.0, 127.2, 126.8, 125.3, 125.1, 124.6, 123.7, 122.8, 120.2, 42.6, 25.4, 21.74, 21.71; HRMS (ESI)  $m/z$  calcd for [C<sub>28</sub>H<sub>25</sub>BrNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 502.1012, found 502.1012; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 24.189$  min,  $t_{\text{minor}} = 6.577$  min, ee = 88%;  $[\alpha]_D^{15} = -0.022$  (c = 0.33, CHCl<sub>3</sub>).

### (5) NHC-catalyzed kinetic resolution of racemic **7i** with isovaleraldehyde **8**

To a solution of racemic **7i** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 17 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7i** (9 mg, 43% yield) and product **9e** (12 mg, 48% yield).

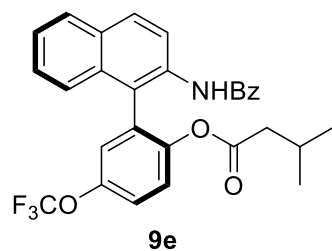
### (**R**)-*N*-(1-(2-hydroxy-5-(trifluoromethoxy)phenyl)naphthalen-2-yl)benzamide

#### ((**R**)-**7i**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.030$  min,  $t_{\text{minor}} = 4.103$  min, ee = 90%;  $[\alpha]_D^{15} = -0.009$  ( $c = 0.20$ , CHCl<sub>3</sub>).

### (**S**)-2-(2-benzamidonaphthalen-1-yl)-4-(trifluoromethoxy)phenyl 3-methylbutanoate (**9e**)

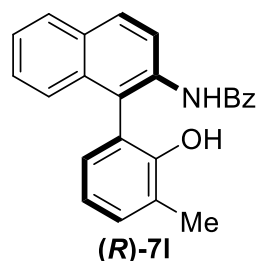


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):  $\delta$  8.20 (d,  $J = 9.0$  Hz, 1H), 8.04 (s, 1H), 7.87 (d,  $J = 9.0$  Hz, 1H), 7.83-7.77 (m, 1H), 7.68-7.60 (m, 2H), 7.44-7.30 (m, 6H), 7.26-7.15 (m, 3H), 1.96-1.79 (m, 2H), 1.47 (dp,  $J = 13.7, 6.8$  Hz, 1H), 0.39 (t,  $J = 6.6$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>):  $\delta$  172.6, 165.6, 148.0, 147.5, 134.2(d,  $J_{C-F} = 25.7$  Hz), 131.98, 131.96, 131.8, 131.1, 129.6, 128.7, 128.1, 127.1, 126.9, 125.4, 125.00, 124.96, 124.4, 123.9, 123.0, 122.7, 120.3(q,  $J_{C-F} = 258.1$  Hz), 42.6, 25.4, 21.74, 21.70; <sup>19</sup>F NMR (471 MHz, CDCl<sub>3</sub>):  $\delta$  -58.19 (s); HRMS (ESI)  $m/z$  calcd for [C<sub>29</sub>H<sub>25</sub>F<sub>3</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 508.1730, found 508.1734; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 10.849$  min,  $t_{\text{minor}} = 5.621$  min, ee = 87%;  $[\alpha]_D^{15} = +0.059$  ( $c = 0.27$ , CHCl<sub>3</sub>).

## (6) NHC-catalyzed kinetic resolution of racemic **7l** with isovaleraldehyde **8**

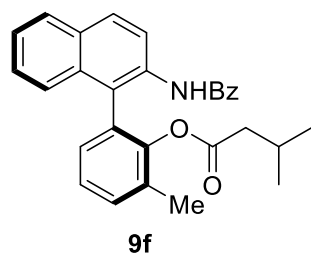
To a solution of racemic **7l** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 5 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7l** (8 mg, 44% yield) and product **9f** (11 mg, 50% yield).

### (*R*)-*N*-(1-(2-hydroxy-3-methylphenyl)naphthalen-2-yl)benzamide ((*R*)-**7l**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.950$  min,  $t_{\text{minor}} = 5.079$  min, ee = 96%;  $[\alpha]_D^{15} = -0.062$  (c = 0.30, CHCl<sub>3</sub>).

### (*S*)-2-(2-benzamidonaphthalen-1-yl)-6-methylphenyl 3-methylbutanoate (**9f**)

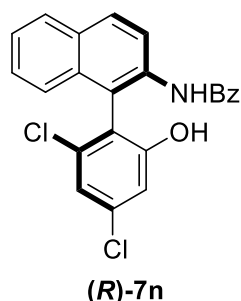


White solid, m.p. = 101-103 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.30 (d,  $J = 8.9$  Hz, 1H), 8.19 (s, 1H), 7.92 (d,  $J = 8.9$  Hz, 1H), 7.89-7.82 (m, 1H), 7.72 (d,  $J = 7.6$  Hz, 2H), 7.51-7.35 (m, 6H), 7.35-7.26 (m, 2H), 7.16 (dd,  $J = 7.4, 1.6$  Hz, 1H), 2.32 (s, 3H), 1.94 (qd,  $J = 14.8, 7.1$  Hz, 2H), 1.56 (dp,  $J = 13.6, 6.8$  Hz, 1H), 0.48 (dd,  $J = 6.7, 3.2$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 165.4, 148.3, 134.56, 134.0, 132.4, 131.6, 131.5, 131.0, 129.8, 129.7, 128.8, 128.6, 127.9, 127.2, 127.0, 126.4, 125.5, 125.1, 42.6, 25.3, 21.83, 21.80, 16.6; HRMS (ESI)  $m/z$  calcd for [C<sub>29</sub>H<sub>27</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 438.2064, found 438.2061; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.676$  min,  $t_{\text{minor}} = 5.285$  min, ee = 75%;  $[\alpha]_D^{15} = +0.181$  (c = 0.37, CHCl<sub>3</sub>).

### (7) NHC-catalyzed kinetic resolution of racemic **7n** with isovaleraldehyde **8**

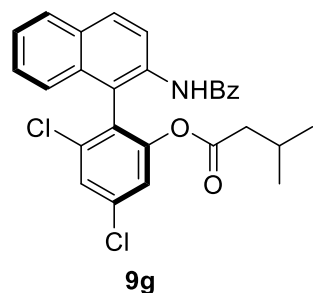
To a solution of racemic **7n** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2.0 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 24 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7n** (9 mg, 43% yield) and product **9g** (11 mg, 44% yield).

#### (*S*)-*N*-(1-(2,4-dichloro-6-hydroxyphenyl)naphthalen-2-yl)benzamide((*R*)-**7n**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel OD-H, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.199$  min,  $t_{\text{minor}} = 6.450$  min, ee = 99%;  $[\alpha]_D^{15} = +0.067$  ( $c = 0.13$ , CHCl<sub>3</sub>).

#### (*R*)-2-(2-benzamidonaphthalen-1-yl)-3,5-dichlorophenyl 3-methylbutanoate (**9g**)

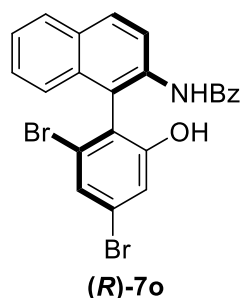


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):  $\delta$  8.22 (d,  $J = 8.9$  Hz, 1H), 8.02 (s, 1H), 7.88 (d,  $J = 9.0$  Hz, 1H), 7.79 (dd,  $J = 8.0, 1.3$  Hz, 1H), 7.71 (dt,  $J = 7.1, 1.4$  Hz, 2H), 7.46-7.27 (m, 6H), 7.17-7.06 (m, 2H), 1.91-1.74 (m, 2H), 1.45 (dt,  $J = 13.7, 6.8$  Hz, 1H), 0.40 (dd,  $J = 8.6, 6.7$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>):  $\delta$  172.3, 165.3, 151.0, 137.3, 135.5, 134.8, 134.5, 131.8, 131.6, 131.1, 129.8, 128.8, 128.22, 128.20, 128.1, 127.2, 127.0, 125.4, 124.3, 122.7, 122.2, 120.8, 42.5, 25.3, 21.77, 21.75; HRMS (ESI)  $m/z$  calcd for [C<sub>28</sub>H<sub>24</sub>Cl<sub>2</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 492.1128, found 492.1127; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.407$  min,  $t_{\text{minor}} = 5.223$  min, ee = 90%;  $[\alpha]_D^{15} = -0.040$  ( $c = 0.33$ , CHCl<sub>3</sub>).

### (8) NHC-catalyzed kinetic resolution of racemic **7o** with isovaleraldehyde **8**

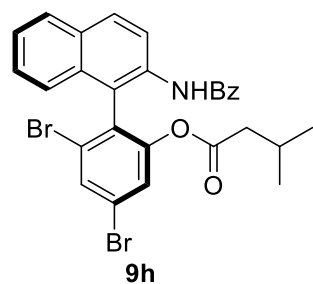
To a solution of racemic **7o** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE/Toluene = 4/3 (3.5 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 45 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7o** (12 mg, 48% yield) and product **9h** (14 mg, 48% yield).

#### (*S*)-*N*-(1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-**7o**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 05/95, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.417$  min,  $t_{\text{minor}} = 6.021$  min, ee = 98%;  $[\alpha]_D^{15} = +0.181$  (c = 0.30, CHCl<sub>3</sub>).

#### (*R*)-2-(2-benzamidonaphthalen-1-yl)-3,5-dibromophenyl 3-methylbutanoate (**9h**)

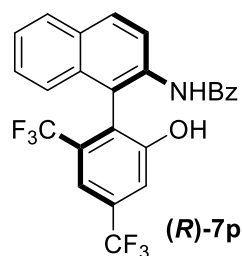


White solid, m.p. = 131-133 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.31 (d,  $J = 8.9$  Hz, 1H), 8.09 (s, 1H), 7.98 (d,  $J = 9.0$  Hz, 1H), 7.93-7.83 (m, 2H), 7.82-7.76 (m, 2H), 7.55-7.38 (m, 6H), 7.17 (dd,  $J = 8.4, 1.1$  Hz, 1H), 2.01-1.81 (m, 2H), 1.54 (dp,  $J = 13.6, 6.8$  Hz, 1H), 0.50 (dd,  $J = 9.2, 6.7$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.4, 165.3, 150.9, 134.54, 134.50, 134.0, 131.8, 131.4, 131.1, 130.5, 129.8, 128.8, 128.2, 127.3, 127.2, 127.0, 125.6, 125.4, 124.2, 123.1, 122.73, 122.68, 42.4, 25.3, 21.78, 21.77; HRMS (ESI)  $m/z$  calcd for [C<sub>28</sub>H<sub>24</sub>Br<sub>2</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 580.0117, found 580.0121; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 15/85, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.062$  min,  $t_{\text{minor}} = 5.307$  min, ee = 92%;  $[\alpha]_D^{15} = -0.042$  (c = 0.37, CHCl<sub>3</sub>).

### (9) NHC-catalyzed kinetic resolution of racemic **7p** with isovaleraldehyde **8**

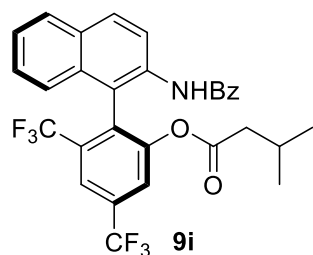
To a solution of racemic **7p** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 48 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7p** (10 mg, 48% yield) and product **9i** (14 mg, 48% yield).

#### (**R**)-*N*-(1-(2-hydroxy-4,6-bis(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide ((**R**)-**7p**)



$R_f = 0.2$  (DCM:EA = 20:1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 02/98, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.298$  min,  $t_{\text{minor}} = 5.118$  min, ee = 97%;  $[\alpha]_D^{15} = +0.091$  (c = 0.23, CHCl<sub>3</sub>).

#### (**S**)-2-(2-benzamidonaphthalen-1-yl)-3,5-bis(trifluoromethyl)phenyl 3-methylbutanoate (**9i**)



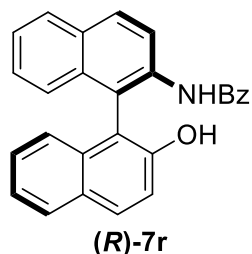
White solid, m.p. = 133-134 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.24 (d,  $J = 8.9$  Hz, 1H), 8.06 (d,  $J = 1.6$  Hz, 1H), 8.00 (d,  $J = 9.0$  Hz, 1H), 7.96-7.86 (m, 2H), 7.78-7.70 (m, 3H), 7.53-7.45 (m, 2H), 7.40 (tdd,  $J = 8.3, 6.7, 1.5$  Hz, 3H), 7.00 (dd,  $J = 8.4, 1.0$  Hz, 1H), 2.06-1.85 (m, 2H), 1.56 (dq,  $J = 13.5, 6.8$  Hz, 1H), 0.55 (dd,  $J = 9.2, 6.6$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.6, 165.1, 151.4, 134.6, 134.3, 133.6, 133.5, 133.3, 132.8 (q,  $J_{C-F} = 34.4$  Hz), 132.2, 131.9, 130.8, 130.2, 128.7, 128.1, 127.1, 127.0, 125.0 (d,  $J_{C-F} = 128.5$  Hz), 124.2 (q,  $J_{C-F} = 3.8$  Hz), 123.5 (d,  $J_{C-F} = 60.2$  Hz), 123.1, 122.1 (t,  $J_{C-F} = 3.9$  Hz), 121.3 (d,  $J_{C-F} = 62.5$  Hz), 119.5, 42.3, 25.3, 21.8; <sup>19</sup>F NMR (471 MHz, CDCl<sub>3</sub>): δ -61.41 (s), -62.88 (s); HRMS (ESI)  $m/z$  calcd for [C<sub>30</sub>H<sub>24</sub>F<sub>6</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 560.1655, found 560.1653; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.768$  min,  $t_{\text{minor}} = 3.126$  min, ee = 79%;  $[\alpha]_D^{15} = +0.102$  (c = 0.27, CHCl<sub>3</sub>).



### (10) NHC-catalyzed kinetic resolution of racemic **7r** with isovaleraldehyde **8**

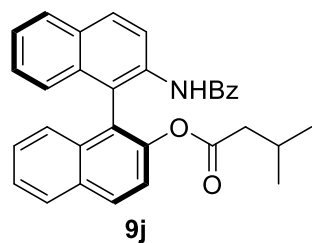
To a solution of racemic **7r** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 13 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE:EA = 12:1 → DCM:EA = 10:1, v/v) to give the recovered (**R**)-**7r** (9 mg, 45% yield) and product **9j** (12 mg, 50% yield).

#### (**R**)-*N*-(2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((**R**)-**7r**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.623$  min,  $t_{\text{minor}} = 4.270$  min, ee = 97%;  $[\alpha]_D^{15} = +0.425$  (c = 0.33, CHCl<sub>3</sub>).

#### (**S**)-2'-benzamido-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9j**)

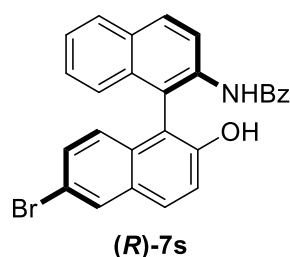


White solid, m.p. = 100-102 °C;  $R_f = 0.2$  (PE:EA = 15:1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.46 (d,  $J = 9.0$  Hz, 1H), 8.13-8.00 (m, 3H), 7.92 (dq,  $J = 8.3, 1.1$  Hz, 2H), 7.47-7.27 (m, 9H), 7.27-7.22 (m, 2H), 7.17 (dd,  $J = 8.4, 1.0$  Hz, 1H), 2.02 (qd,  $J = 14.6, 7.1$  Hz, 2H), 1.62 (dt,  $J = 13.6, 6.8$  Hz, 1H), 0.54 (dd,  $J = 6.7, 5.2$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.8, 165.3, 147.3, 135.0, 134.5, 133.0, 132.7, 132.1, 131.5, 131.2, 130.7, 129.3, 128.4, 128.1, 128.0, 127.7, 126.9, 126.6, 126.4, 125.5, 125.3, 125.2, 125.0, 122.4, 122.0, 121.5, 42.8, 25.5, 21.9, 21.8; HRMS (ESI)  $m/z$  calcd for [C<sub>32</sub>H<sub>28</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 474.2064, found 474.2064; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 13/87, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 12.215$  min,  $t_{\text{minor}} = 14.835$  min, ee = 91%;  $[\alpha]_D^{15} = -0.451$  (c = 0.37, CHCl<sub>3</sub>).

### (11) NHC-catalyzed kinetic resolution of racemic **7s** with isovaleraldehyde **8**

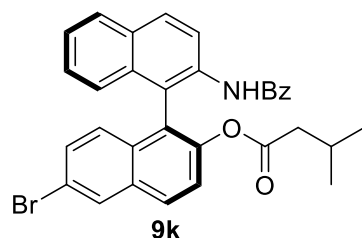
To a solution of racemic **7s** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 47 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7s** (10 mg, 42% yield) and product (*S*)-**9k** (14 mg, 52% yield).

#### (*R*)-*N*-(6'-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((*R*)-**7s**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.833$  min,  $t_{\text{minor}} = 4.707$  min, ee = 99%;  $[\alpha]_D^{15} = +0.299$  ( $c = 0.20$ , CHCl<sub>3</sub>).

#### (*S*)-2'-benzamido-6-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9k**)

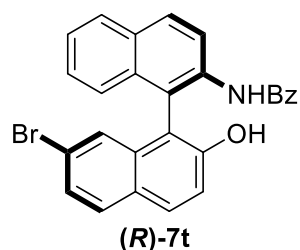


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):  $\delta$  8.31 (d,  $J = 9.0$  Hz, 1H), 8.08-7.93 (m, 3H), 7.86 (dd,  $J = 21.1, 8.5$  Hz, 2H), 7.49-7.41 (m, 2H), 7.40-7.25 (m, 4H), 7.25-7.17 (m, 3H), 7.12-6.99 (m, 2H), 2.02-1.88 (m, 2H), 1.55 (dt,  $J = 13.2, 6.6$  Hz, 1H), 0.46 (t,  $J = 6.4$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>):  $\delta$  172.9, 165.3, 147.5, 135.0, 134.2, 133.1, 132.6, 131.62, 131.57, 131.2, 131.1, 130.2, 129.7, 129.5, 128.5, 128.1, 127.3, 127.0, 126.8, 125.6, 125.4, 125.1, 122.73, 122.70, 121.6, 120.7, 42.8, 25.5, 21.83, 21.81; HRMS (ESI)  $m/z$  calcd for [C<sub>32</sub>H<sub>27</sub>BrNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 552.1169, found 552.1169; HPLC: the ee value was determined by HPLC analysis (Chiralcel OD-H, *i*-PrOH/Hexane = 05/95, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 5.250$  min,  $t_{\text{minor}} = 6.558$  min, ee = 89%;  $[\alpha]_D^{15} = -0.297$  ( $c = 0.37$ , CHCl<sub>3</sub>).

## (12) NHC-catalyzed kinetic resolution of racemic **7t** with isovaleraldehyde **8**

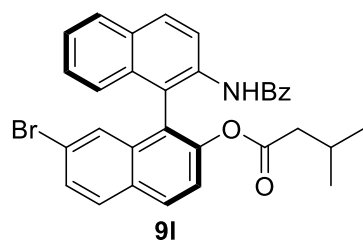
To a solution of racemic **7t** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 24 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7t** (11 mg, 46% yield) and product **9l** (14 mg, 50% yield).

### (**R**)-*N*-(7'-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((**R**)-**7t**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.911$  min,  $t_{\text{minor}} = 7.745$  min, ee = 95%;  $[\alpha]_D^{15} = +0.207$  (c = 0.27, CHCl<sub>3</sub>).

### (**S**)-2'-benzamido-7-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9l**)

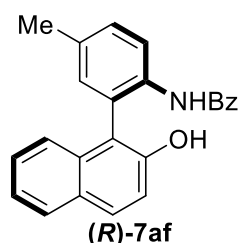


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.41 (d,  $J = 9.0$  Hz, 1H), 7.98-7.88 (m, 3H), 7.87-7.82 (m, 1H), 7.70 (d,  $J = 8.7$  Hz, 1H), 7.43 (ddd,  $J = 9.8, 8.6, 1.8$  Hz, 3H), 7.39-7.27 (m, 4H), 7.25-7.17 (m, 3H), 7.03 (dd,  $J = 8.6, 1.0$  Hz, 1H), 1.92 (qd,  $J = 14.7, 7.1$  Hz, 2H), 1.53 (dq,  $J = 13.6, 6.8$  Hz, 1H), 0.45 (dd,  $J = 6.7, 5.0$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.6, 165.2, 148.2, 135.1, 134.5, 134.3, 132.5, 131.5, 131.2, 130.6, 130.5, 130.1, 129.8, 129.7, 128.5, 128.1, 127.5, 127.0, 126.8, 125.3, 125.1, 124.4, 122.4, 122.3, 122.1, 120.9, 42.8, 25.5, 21.84, 21.82; HRMS (ESI)  $m/z$  calcd for [C<sub>32</sub>H<sub>27</sub>BrNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 552.1169, found 552.1165; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 01/99, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 26.493$  min,  $t_{\text{minor}} = 21.802$  min, ee = 89%;  $[\alpha]_D^{15} = -0.364$  (c = 0.33, CHCl<sub>3</sub>).

### (13) NHC-catalyzed kinetic resolution of racemic **7af** with isovaleraldehyde **8**

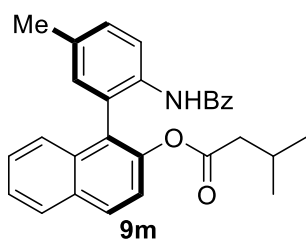
To a solution of racemic **7af** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 18 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7af** (8 mg, 44% yield) and product **9m** (11 mg, 50% yield).

#### (*R*)-*N*-(2-(2-hydroxynaphthalen-1-yl)-4-methylphenyl)benzamide ((*R*)-**7af**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.789$  min,  $t_{\text{minor}} = 3.846$  min, ee = 94%;  $[\alpha]_D^{15} = +0.168$  (c = 0.17, CHCl<sub>3</sub>).

#### (*S*)-1-(2-benzamido-5-methylphenyl)naphthalen-2-yl 3-methylbutanoate (**9m**)

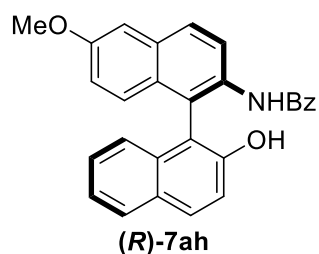


White solid, m.p. = 111-113 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.23 (d,  $J = 8.3$  Hz, 1H), 7.96 (d,  $J = 8.9$  Hz, 1H), 7.90-7.86 (m, 1H), 7.76 (s, 1H), 7.44 (td,  $J = 7.4, 1.3$  Hz, 2H), 7.38 (ddd,  $J = 8.4, 6.4, 1.4$  Hz, 1H), 7.36-7.25 (m, 5H), 7.23-7.18 (m, 2H), 7.06 (d,  $J = 2.1$  Hz, 1H), 2.38 (s, 3H), 2.20 (d,  $J = 7.1$  Hz, 2H), 1.90 (dp,  $J = 13.6, 6.8$  Hz, 1H), 0.77 (t,  $J = 6.6$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.5, 165.1, 146.3, 134.7, 134.3, 134.0, 132.8, 131.7, 131.3, 131.2, 130.2, 129.8, 128.4, 128.0, 127.5, 127.3, 126.8, 126.3, 126.1, 125.7, 123.0, 121.4, 43.0, 25.7, 22.10, 22.08, 20.9; HRMS (ESI)  $m/z$  calcd for [C<sub>29</sub>H<sub>28</sub>NO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 438.2064, found 438.2045; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.683$  min,  $t_{\text{minor}} = 3.217$  min, ee = 83%;  $[\alpha]_D^{15} = -0.186$  (c = 0.27, CHCl<sub>3</sub>).

#### (14) NHC-catalyzed kinetic resolution of racemic **7ah** with isovaleraldehyde **8**

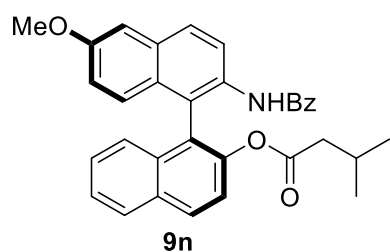
To a solution of racemic **7ah** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 24 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7ah** (9 mg, 43% yield) and product **9n** (13 mg, 52% yield).

#### (**R**)-*N*-(2'-hydroxy-6-methoxy-[1,1'-binaphthalen]-2-yl)benzamide ((**R**)-**7ah**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 16.781$  min,  $t_{\text{minor}} = 21.000$  min, ee = 99%;  $[\alpha]_D^{17} = + 0.181$  (c = 0.23, CHCl<sub>3</sub>).

#### (**S**)-2'-benzamido-6'-methoxy-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9n**)

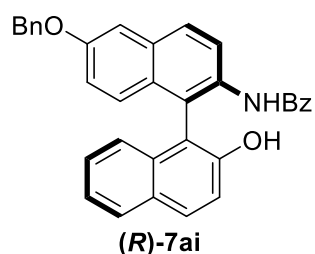


Yellow solid, m.p. = 121-123 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.29 (d,  $J = 9.0$  Hz, 1H), 7.96 (d,  $J = 8.7$  Hz, 2H), 7.83 (t,  $J = 8.3$  Hz, 2H), 7.40-7.32 (m, 3H), 7.31-7.13 (m, 7H), 6.99 (d,  $J = 9.1$  Hz, 1H), 6.89 (dd,  $J = 9.2, 2.6$  Hz, 1H), 3.84 (s, 3H), 1.95 (qd,  $J = 14.6, 7.1$  Hz, 2H), 1.58 (dt,  $J = 13.6, 6.8$  Hz, 1H), 0.59-0.41 (m, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.9, 165.3, 157.3, 147.2, 134.5, 133.0, 133.0, 132.4, 132.0, 131.4, 130.6, 128.4, 128.1, 128.0, 128.0, 127.7, 127.0, 126.9, 126.4, 125.5, 125.2, 123.2, 122.5, 121.4, 119.2, 106.2, 55.3, 42.9, 25.5, 21.90, 21.86; HRMS (ESI)  $m/z$  calcd for [C<sub>33</sub>H<sub>30</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 504.2169, found 504.2166; HPLC: the ee value was determined by HPLC analysis (Chiralcel AD-H, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 5.494$  min,  $t_{\text{minor}} = 9.152$  min, ee = 70%;  $[\alpha]_D^{15} = - 0.320$  (c = 0.37, CHCl<sub>3</sub>).

### (15) NHC-catalyzed kinetic resolution of racemic **7ai** with isovaleraldehyde **8**

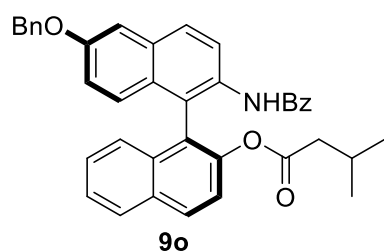
To a solution of racemic **7ai** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 11 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7ai** (11 mg, 44% yield) and product **9o** (13 mg, 45% yield).

#### (**R**)-*N*-(6-(benzyloxy)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((**R**)-**7ai**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 13/87, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 17.249$  min,  $t_{\text{minor}} = 12.977$  min, ee = 91%;  $[\alpha]_D^{16} = +0.188$  (c = 0.30, CHCl<sub>3</sub>).

#### (**S**)-2'-benzamido-6'-(benzyloxy)-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9o**)

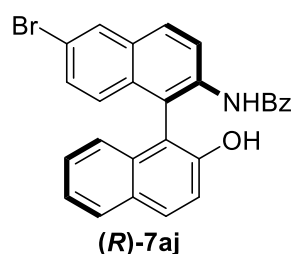


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.36 (d,  $J = 8.9$  Hz, 1H), 8.09-7.99 (m, 2H), 7.89 (dd,  $J = 8.8, 2.0$  Hz, 2H), 7.51-7.45 (m, 2H), 7.44-7.35 (m, 6H), 7.34-7.30 (m, 3H), 7.29-7.26 (m, 2H), 7.25-7.19 (m, 2H), 7.11-6.99 (m, 2H), 5.17 (s, 2H), 2.02 (qd,  $J = 14.6, 7.1$  Hz, 2H), 1.64 (dt,  $J = 13.6, 6.8$  Hz, 1H), 0.55 (dd,  $J = 6.7, 4.5$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.9, 165.3, 156.5, 147.2, 136.8, 134.5, 133.1, 133.0, 132.4, 132.0, 131.4, 130.6, 128.7, 128.4, 128.2, 128.09, 128.05, 127.72, 127.65, 127.0, 126.9, 126.4, 125.6, 125.2, 123.3, 122.5, 121.4, 119.6, 107.5, 70.1, 42.9, 25.5, 21.92, 21.88; HRMS (ESI)  $m/z$  calcd for [C<sub>39</sub>H<sub>34</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 580.2482, found 580.2485; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 40/60, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 15.448$  min,  $t_{\text{minor}} = 17.733$  min, ee = 81%;  $[\alpha]_D^{15} = -0.271$  (c = 0.37, CHCl<sub>3</sub>).

### (16) NHC-catalyzed kinetic resolution of racemic **7aj** with isovaleraldehyde **8**

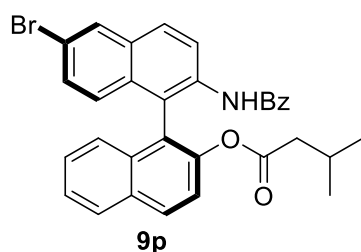
To a solution of racemic **7aj** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 24 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7aj** (10 mg, 42% yield) and product **9p** (13 mg, 46% yield).

#### (**R**)-*N*-(6-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((**R**)-**7aj**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.461$  min,  $t_{\text{minor}} = 3.612$  min, ee = 97%;  $[\alpha]_D^{16} = +0.055$  (c = 0.20, CHCl<sub>3</sub>).

#### (**S**)-2'-benzamido-6'-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9p**)

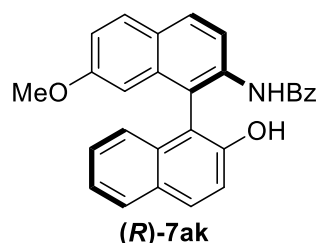


White solid, m.p. = 148-149 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.42 (d,  $J = 9.0$  Hz, 1H), 8.02-7.95 (m, 3H), 7.84 (dd,  $J = 10.2, 8.5$  Hz, 2H), 7.38-7.12 (m, 10H), 6.95 (d,  $J = 8.9$  Hz, 1H), 2.03-1.86 (m, 2H), 1.57 (dt,  $J = 13.6, 6.8$  Hz, 1H), 0.48 (dd,  $J = 8.4, 6.7$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.8, 165.3, 147.3, 135.4, 134.2, 132.8, 132.2, 132.1, 131.6, 131.3, 131.0, 129.99, 129.98, 128.5, 128.3, 128.2, 127.9, 127.2, 126.9, 126.5, 125.3, 124.3, 123.5, 122.1, 121.5, 119.3, 42.8, 25.5, 21.9, 21.8; HRMS (ESI)  $m/z$  calcd for [C<sub>32</sub>H<sub>27</sub>BrNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 552.1169, found 552.1168; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 6.287$  min,  $t_{\text{minor}} = 13.886$  min, ee = 86%;  $[\alpha]_D^{15} = -0.339$  (c = 0.37, CHCl<sub>3</sub>).

### (17) NHC-catalyzed kinetic resolution of racemic **7ak** with isovaleraldehyde **8**

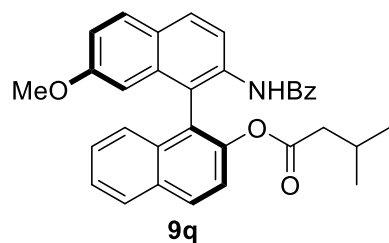
To a solution of racemic **7ak** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 18 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7ak** (9 mg, 43% yield) and product **9q** (12 mg, 48% yield).

#### (**R**)-*N*-(2'-hydroxy-7-methoxy-[1,1'-binaphthalen]-2-yl)benzamide ((**R**)-**7ak**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.325$  min,  $t_{\text{minor}} = 4.875$  min, ee = 99%;  $[\alpha]_D^{15} = +0.173$  (c = 0.27, CHCl<sub>3</sub>).

#### (**S**)-2'-benzamido-7'-methoxy-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9q**)



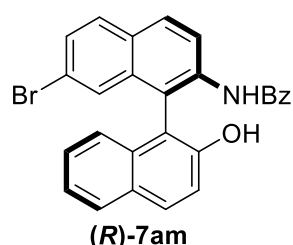
Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.25 (d,  $J = 8.9$  Hz, 1H), 7.98 (d,  $J = 8.8$  Hz, 1H), 7.92 (s, 1H), 7.90-7.82 (m, 2H), 7.74 (d,  $J = 8.9$  Hz, 1H), 7.40-7.21 (m, 7H), 7.19-7.13 (m, 2H), 7.02 (dd,  $J = 8.9, 2.5$  Hz, 1H), 6.38 (d,  $J = 2.5$  Hz, 1H), 3.49 (s, 3H), 1.94 (h,  $J = 7.2$  Hz, 2H), 1.56 (dt,  $J = 13.5, 6.8$  Hz, 1H), 0.48 (t,  $J = 6.8$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.8, 165.2, 158.2, 147.3, 135.4, 134.6, 134.0, 132.9, 132.1, 131.4, 130.6, 129.6, 129.0, 128.4, 128.1, 127.7, 126.9, 126.7, 126.4, 125.5, 125.0, 121.6, 120.7, 119.9, 117.4, 104.3, 55.1, 42.9, 25.5, 21.87, 21.85; HRMS (ESI)  $m/z$  calcd for [C<sub>33</sub>H<sub>30</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 504.2169, found 504.2166; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 15.026$  min,  $t_{\text{minor}} = 16.251$  min, ee = 73%;  $[\alpha]_D^{15} = -0.155$  (c = 0.27, CHCl<sub>3</sub>).



### (18) NHC-catalyzed kinetic resolution of racemic **7am** with isovaleraldehyde **8**

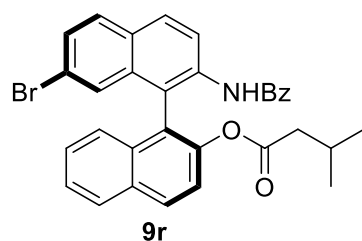
To a solution of racemic **7am** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 40 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (*R*)-**7am** (10 mg, 42% yield) and product **9r** (13 mg, 46% yield).

#### (*R*)-*N*-(7-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((*R*)-**7am**)



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 13/87, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 3.561$  min,  $t_{\text{minor}} = 5.358$  min, ee = 94%;  $[\alpha]_D^{15} = +0.179$  (c = 0.33, CHCl<sub>3</sub>).

#### (*S*)-2'-benzamido-7'-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9r**)

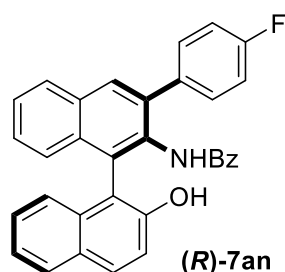


White solid, m.p. = 130-132 °C;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.49 (d,  $J = 8.9$  Hz, 1H), 8.13-8.05 (m, 3H), 8.00 (d,  $J = 9.0$  Hz, 1H), 7.94 (dt,  $J = 8.2, 0.9$  Hz, 1H), 7.79 (d,  $J = 8.7$  Hz, 1H), 7.52 (dd,  $J = 8.7, 1.9$  Hz, 1H), 7.49-7.38 (m, 4H), 7.37-7.30 (m, 3H), 7.28-7.20 (m, 3H), 2.04 (qd,  $J = 14.6, 7.1$  Hz, 2H), 1.66 (dt,  $J = 13.6, 6.8$  Hz, 1H), 0.57 (dd,  $J = 10.0, 6.7$  Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.8, 165.3, 147.4, 136.0, 134.2, 134.0, 132.7, 132.1, 131.6, 131.1, 129.7, 129.5, 129.2, 128.7, 128.5, 128.3, 127.9, 127.3, 126.9, 126.5, 125.2, 124.1, 122.9, 121.6, 121.20, 121.18, 42.8, 25.5, 21.86, 21.85; HRMS (ESI)  $m/z$  calcd for [C<sub>32</sub>H<sub>27</sub>BrNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 552.1169, found 552.1166; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 35/65, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 10.402$  min,  $t_{\text{minor}} = 15.783$  min, ee = 92%;  $[\alpha]_D^{15} = -0.262$  (c = 0.40, CHCl<sub>3</sub>).

### (19) NHC-catalyzed kinetic resolution of racemic **7an** with isovaleraldehyde **8**

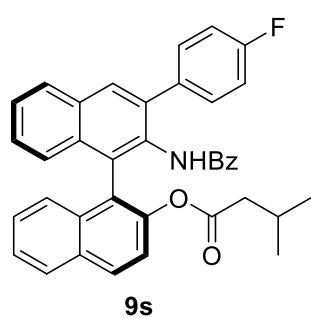
To a solution of racemic **7an** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in DCE (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 9 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7an** (10 mg, 42% yield) and product **9s** (12 mg, 43% yield).

#### (**R**)-*N*-(3-(4-fluorophenyl)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (**(R)**-**7an**)



R<sub>f</sub> = 0.2 (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time: t<sub>major</sub> = 2.782 min, t<sub>minor</sub> = 24.574 min, ee = 93%; [α]<sub>D</sub><sup>15</sup> = + 0.418 (c = 0.23, CHCl<sub>3</sub>).

#### (**S**)-2'-benzamido-3'-(4-fluorophenyl)-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9s**)

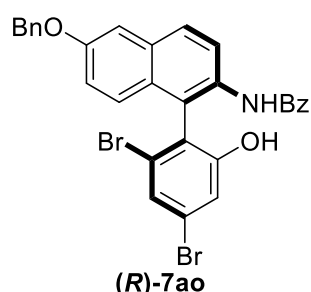


Viscous oily liquid; R<sub>f</sub> = 0.2 (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.16 (s, 1H), 8.02-7.92 (m, 3H), 7.82 (d, J = 8.1 Hz, 1H), 7.62-7.55 (m, 2H), 7.50 (ddd, J = 8.1, 6.7, 1.2 Hz, 1H), 7.43-7.31 (m, 4H), 7.30-7.21 (m, 3H), 7.12 (dd, J = 8.6, 7.0 Hz, 2H), 7.09-7.00 (m, 4H), 2.18-2.03 (m, 2H), 1.72 (dq, J = 13.6, 6.8 Hz, 1H), 0.56 (dd, J = 20.0, 6.7 Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 173.7, 165.1, 162.0 (d, J<sub>C-F</sub> = 245.6 Hz), 146.5, 137.9, 136.4 (d, J<sub>C-F</sub> = 3.2 Hz), 134.5, 133.1, 133.0, 132.5, 132.1, 132.0, 130.9, 130.4, 130.3, 130.1 (d, J<sub>C-F</sub> = 8.0 Hz), 129.2, 128.1, 128.1, 127.7, 127.3, 126.7, 126.5, 126.5, 126.4, 126.3, 126.2, 125.5, 120.8, 115.0 (d, J<sub>C-F</sub> = 21.4 Hz), 43.1, 25.7, 21.94, 21.88; <sup>19</sup>F NMR (471 MHz, CDCl<sub>3</sub>): δ -115.79 (s); HRMS (ESI) m/z calcd for [C<sub>38</sub>H<sub>31</sub>FNO<sub>3</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 568.2282, found 568.2279; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time: t<sub>major</sub> = 4.819 min, t<sub>minor</sub> = 6.566 min, ee = 86%; [α]<sub>D</sub><sup>15</sup> = - 0.221 (c = 0.33, CHCl<sub>3</sub>).

## (20) NHC-catalyzed kinetic resolution of racemic **7ao** with isovaleraldehyde **8**

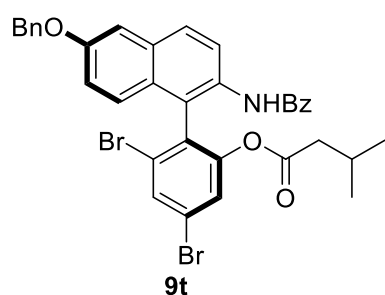
To a solution of racemic **7ao** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 24 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7ao** (12 mg, 40% yield) and product **9t** (19 mg, 56% yield).

### (*S*)-*N*-(6-(benzyloxy)-1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((**R**)-**7ao**)



R<sub>f</sub> = 0.2 (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel AD-H, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time: t<sub>major</sub> = 31.947 min, t<sub>minor</sub> = 24.948 min, ee = 99%; [α]<sub>D</sub><sup>15</sup> = +0.096 (c = 0.26, CHCl<sub>3</sub>).

### (**R**)-2-(2-benzamido-6-(benzyloxy)naphthalen-1-yl)-3,5-dibromophenyl 3-methylbutanoate (**9t**)

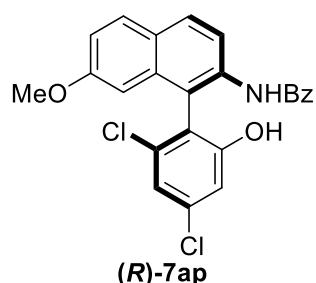


Viscous oily liquid; R<sub>f</sub> = 0.2 (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.24 (d, *J* = 8.9 Hz, 1H), 8.04 (s, 1H), 7.89-7.83 (m, 2H), 7.81-7.76 (m, 2H), 7.54-7.48 (m, 3H), 7.48-7.39 (m, 5H), 7.39-7.34 (m, 1H), 7.29 (d, *J* = 2.5 Hz, 1H), 7.17 (dd, *J* = 9.2, 2.6 Hz, 1H), 7.10 (d, *J* = 9.2 Hz, 1H), 5.19 (s, 2H), 2.04-1.82 (m, 2H), 1.58 (dt, *J* = 13.6, 6.8 Hz, 1H), 0.53 (dd, *J* = 8.3, 6.7 Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.4, 165.2, 156.6, 150.8, 136.7, 134.6, 133.9, 132.6, 132.3, 131.7, 130.7, 128.74, 128.67, 128.6, 128.1, 127.7, 127.3, 127.2, 126.8, 125.9, 125.6, 123.5, 123.13, 123.07, 120.0, 107.7, 70.2, 42.5, 25.4, 21.9, 21.8; HRMS (ESI) *m/z* calcd for [C<sub>35</sub>H<sub>30</sub>Br<sub>2</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 686.0536, found 686.0539; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time: t<sub>major</sub> = 5.968 min, t<sub>minor</sub> = 9.667 min, ee = 75%; [α]<sub>D</sub><sup>15</sup> = -0.061 (c = 0.30, CHCl<sub>3</sub>).

## (21) NHC-catalyzed kinetic resolution of racemic **7ap** with isovaleraldehyde **8**

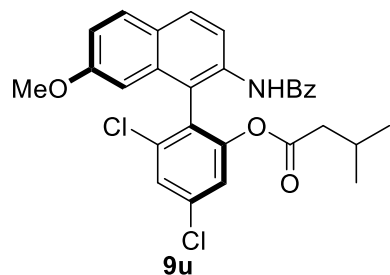
To a solution of racemic **7ap** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 14 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7ap** (9 mg, 41% yield) and product **9u** (12 mg, 46% yield).

### (**S**)-N-(1-(2,4-dichloro-6-hydroxyphenyl)-7-methoxynaphthalen-2-yl)benzamide (**R**)-**7ap**



$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 03/97, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 12.154$  min,  $t_{\text{minor}} = 16.686$  min, ee = 84%;  $[\alpha]_D^{15} = +0.192$  (c = 0.27, CHCl<sub>3</sub>).

### (**R**)-2-(2-benzamido-7-methoxynaphthalen-1-yl)-3,5-dichlorophenyl 3-methylbutanoate (**9u**)

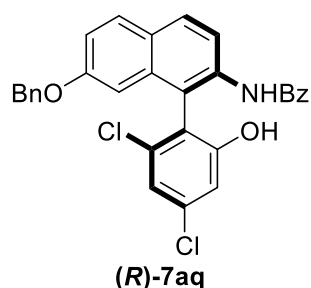


Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.16 (d, *J* = 8.9 Hz, 1H), 8.03 (s, 1H), 7.89 (d, *J* = 8.8 Hz, 1H), 7.82-7.74 (m, 3H), 7.56-7.48 (m, 2H), 7.47-7.40 (m, 2H), 7.23 (d, *J* = 2.1 Hz, 1H), 7.13 (dd, *J* = 8.9, 2.5 Hz, 1H), 6.44 (d, *J* = 2.5 Hz, 1H), 3.75 (s, 3H), 2.03-1.83 (m, 2H), 1.56 (dt, *J* = 13.6, 6.8 Hz, 1H), 0.51 (dd, *J* = 12.0, 6.7 Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.3, 165.2, 158.5, 151.0, 137.3, 135.4, 135.3, 134.5, 133.0, 131.8, 129.8, 129.6, 128.7, 128.3, 128.2, 127.2, 126.6, 122.3, 120.3, 119.7, 117.4, 103.3, 55.3, 42.5, 25.3, 21.79, 21.78; HRMS (ESI) *m/z* calcd for [C<sub>29</sub>H<sub>26</sub>Cl<sub>2</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 522.1233, found 522.1237; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 15/85, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 4.954$  min,  $t_{\text{minor}} = 6.480$  min, ee = 90%;  $[\alpha]_D^{15} = -0.046$  (c = 0.40, CHCl<sub>3</sub>).

## (22) NHC-catalyzed kinetic resolution of racemic **7aq** with isovaleraldehyde **8**

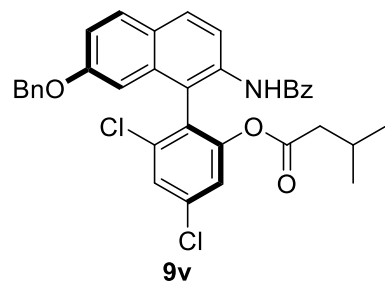
To a solution of racemic **7aq** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 60 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7aq** (11 mg, 44% yield) and product **9v** (13 mg, 45% yield).

### (**S**)-N-(7-(benzyloxy)-1-(2,4-dichloro-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((**R**)-**7aq**)



R<sub>f</sub> = 0.2 (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 15/85, 1.0 mL/min, 227 nm), retention time: t<sub>major</sub> = 5.312 min, t<sub>minor</sub> = 4.425 min, ee = 99%; [α]<sub>D</sub><sup>15</sup> = + 0.194 (c = 0.40, CHCl<sub>3</sub>).

### (**R**)-2-(2-benzamido-7-(benzyloxy)naphthalen-1-yl)-3,5-dichlorophenyl 3-methylbutanoate (**9v**)

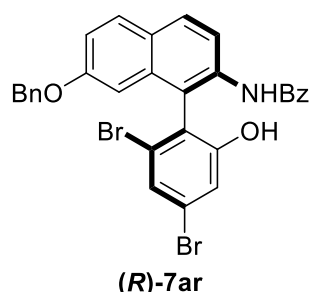


Viscous oily liquid; R<sub>f</sub> = 0.2 (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.16 (d, *J* = 8.9 Hz, 1H), 8.03 (s, 1H), 7.88 (d, *J* = 8.9 Hz, 1H), 7.81-7.75 (m, 3H), 7.54-7.48 (m, 2H), 7.47-7.40 (m, 2H), 7.38-7.28 (m, 5H), 7.24-7.17 (m, 2H), 6.46 (d, *J* = 2.4 Hz, 1H), 5.02 (s, 2H), 1.99-1.81 (m, 2H), 1.56-1.48 (m, 1H), 0.50 (dd, *J* = 6.7, 5.1 Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.3, 165.2, 157.4, 151.0, 137.2, 136.7, 135.33, 135.25, 134.5, 132.9, 131.8, 129.8, 129.5, 128.7, 128.6, 128.3, 128.1, 127.9, 127.4, 127.2, 126.6, 122.3, 120.3, 119.7, 118.0, 105.0, 70.1, 42.5, 25.3, 21.82, 21.77; HRMS (ESI) *m/z* calcd for [C<sub>35</sub>H<sub>30</sub>Cl<sub>2</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 598.1546, found 598.1549; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 15/85, 1.0 mL/min, 227 nm), retention time: t<sub>major</sub> = 5.961 min, t<sub>minor</sub> = 8.072 min, ee = 81%; [α]<sub>D</sub><sup>25</sup> = - 0.017 (c = 0.40, CHCl<sub>3</sub>).

### (23) NHC-catalyzed kinetic resolution of racemic **7ar** with isovaleraldehyde **8**

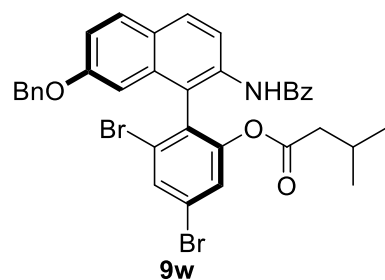
To a solution of racemic **7ar** (0.05 mmol, 1.0 eq.), NHC1 (0.005 mmol, 0.1 eq.), K<sub>2</sub>CO<sub>3</sub> (0.10 mmol, 2.0 eq.) and DQ (0.10 mmol, 2.0 eq.) in Toluene (2 mL) was added isovaleraldehyde (0.20 mmol, 4.0 eq.) in air and the reaction was allowed to stir at 25 °C. After 17 h, the reaction mixture achieved appropriate conversion as indicated by TLC analysis, then the mixture was directly purified by flash column chromatography (PE/EA = 12/1 → DCM/EA = 10/1, v/v) to give the recovered (**R**)-**7ar** (13 mg, 43% yield) and product **9w** (15 mg, 44% yield).

#### (**S**)-*N*-(7-(benzyloxy)-1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((**R**)-**7ar**)



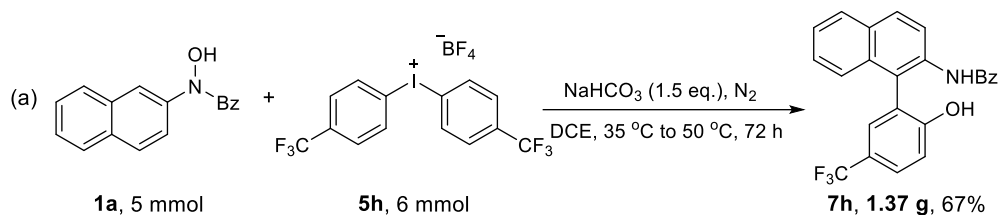
$R_f = 0.2$  (DCM/EA = 20/1, v/v); HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 03/97, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 11.746$  min,  $t_{\text{minor}} = 15.393$  min, ee = 89%;  $[\alpha]_D^{15} = -0.132$  (c = 0.33, CHCl<sub>3</sub>).

#### (**R**)-2-(2-benzamido-7-(benzyloxy)naphthalen-1-yl)-3,5-dibromophenyl 3-methylbutanoate (**9w**)



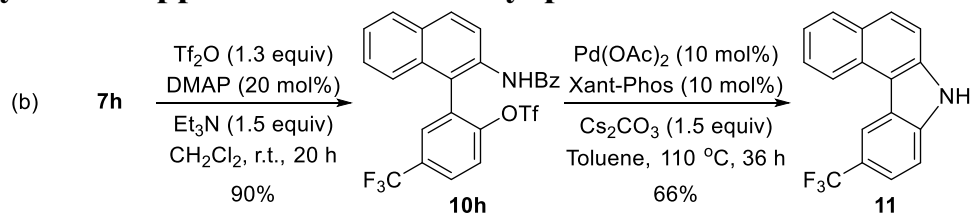
Viscous oily liquid;  $R_f = 0.2$  (PE/EA = 15/1); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.17 (d, *J* = 8.9 Hz, 1H), 8.01 (s, 1H), 7.88 (d, *J* = 8.9 Hz, 1H), 7.83 (d, *J* = 1.9 Hz, 1H), 7.81-7.75 (m, 3H), 7.54-7.49 (m, 1H), 7.47-7.42 (m, 2H), 7.38-7.30 (m, 6H), 7.21 (dd, *J* = 8.9, 2.5 Hz, 1H), 6.43 (d, *J* = 2.5 Hz, 1H), 5.02 (s, 2H), 2.01-1.80 (m, 2H), 1.54 (dq, *J* = 13.6, 6.7 Hz, 1H), 0.51 (dd, *J* = 6.7, 5.2 Hz, 6H); <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>): δ 172.3, 165.2, 157.4, 150.8, 136.8, 135.0, 134.6, 134.0, 132.7, 131.8, 130.6, 129.7, 129.5, 128.7, 128.6, 127.9, 127.4, 127.3, 127.2, 126.6, 125.7, 123.0, 121.6, 120.3, 118.1, 105.0, 70.1, 42.5, 25.3, 21.83, 21.78; HRMS (ESI) *m/z* calcd for [C<sub>35</sub>H<sub>30</sub>Br<sub>2</sub>NO<sub>4</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 686.0536, found 686.0509; HPLC: the ee value was determined by HPLC analysis (Chiralcel IC, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 5.534$  min,  $t_{\text{minor}} = 6.941$  min, ee = 92%;  $[\alpha]_D^{15} = -0.050$  (c = 0.50, CHCl<sub>3</sub>).

## 11. Experimental procedure of large scale reactions of racemic NOBIN-type biaryls



A solution of  $\text{NaHCO}_3$  (0.63 g, 7.5 mmol, 1.5 eq.), **1a** (1.32 g, 5 mmol, 1.0 eq.) and **5h** (3.03 g, 6 mmol, 1.2 eq.) in DCE (25 mL) under  $\text{N}_2$  atmosphere was stirred at 35 °C for 12 h, then the solution was heated to 50 °C until the complete consumption of **1a** detected by TLC analysis. The reaction mixture was filtered and evaporated under reduced pressure, and purified by column chromatography to give the desired product **7h** (1.37 g, 67% yield).

## 12. Synthetic applications of the biaryl products



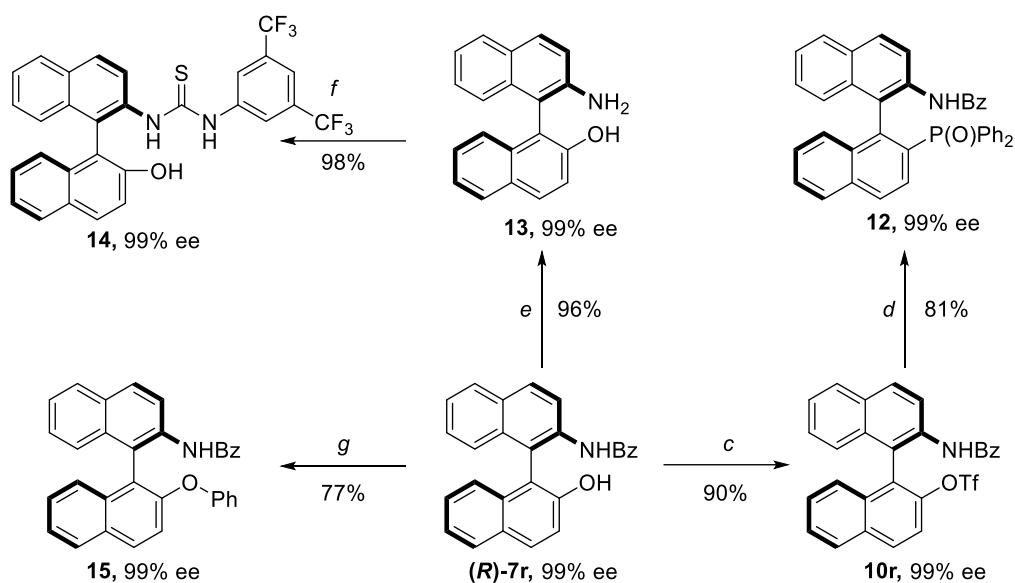
### (b) General Procedure for the Synthesis of **10h**<sup>7</sup>.

To a solution of **7h** (326 mg, 0.8 mmol) and DMAP (20.5 mg, 20 mol%) in dry DCM (5.2 mL),  $\text{Et}_3\text{N}$  (168  $\mu\text{L}$ , 1.5 equiv) was added at room temperature under  $\text{N}_2$  atmosphere. The reaction mixture was stirred at 0 °C for 5 minutes.  $\text{Tf}_2\text{O}$  (174  $\mu\text{L}$ , 1.3 equiv) was added dropwise. The reaction mixture was stirred at room temperature for 20 h. The mixture solution was concentrated in vacuo. The crude residue was purified by flash chromatography on silica gel using (PE/EtOAc = 5/1) to afford 387 mg of **10h** (90%).

### General Procedure for the Synthesis of **11**<sup>8</sup>.

Under  $\text{N}_2$  atmosphere, A dried flask was charged with **10h** (67.4 mg, 0.125 mmol),  $\text{Cs}_2\text{CO}_3$  (61.1 mg, 1.5 equiv),  $\text{Pd(OAc)}_2$  (3.8 mg, 10 mol%) and Xant-Phos (7.2 mg, 10 mol%), and the mixture was added toluene (1 mL). The mixture was stirred at 110 °C

for 36 h. The resulting solution was cooled at room temperature and evaporated under reduced pressure. The residue was purified by flash chromatography on silica gel using (PE/EtOAc = 3/1) to give 32 mg of **11** (66%).



### (c) General Procedure for the Synthesis of **10r**<sup>7</sup>.

To a solution of (*R*)-**7r** (28.5 mg, 0.2 mmol) and DMAP (5.2 mg, 20 mol%) in dry DCM (1.3 mL), Et<sub>3</sub>N (43  $\mu$ L, 1.5 equiv) was added at room temperature under N<sub>2</sub> atmosphere. The reaction mixture was stirred at 0 °C for 5 minutes. Tf<sub>2</sub>O (44.2  $\mu$ L, 1.3 equiv) was added dropwise. The resulting reaction mixture was stirred at room temperature for 20 h. The mixture solution was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using (PE/EtOAc = 5/1) to give 94 mg of **10r** (90%).

### (d) General Procedure for the Synthesis of **12**<sup>9</sup>

To a solution of **10r** (74 mg, 0.14 mmol), diphenylphosphine oxide (56.6 mg, 2.0 equiv), Pd(OAc)<sub>2</sub> (3.14 mg, 0.1 equiv), and 1,3-bis(diphenylphosphino)propane (8.7 mg, 0.15 equiv) in DMSO (0.7 mL) was added diisopropylethylamine (0.12 mL, 5 equiv), and the mixture was stirred at 100 °C for 24 h. After being cooled to room temperature, the reaction mixture was diluted with EtOAc, washed with H<sub>2</sub>O and dried



over Na<sub>2</sub>SO<sub>4</sub>. Removal of the solvent followed by column chromatography on silica gel using (PE/EtOAc = 2/1) to give 65 mg of **12** (81%).

**(e) General Procedure for the Synthesis of 13<sup>10</sup>.**

A 10 mL Schlenk tube was charged with (*R*)-**7r** (38.9 mg, 0.1 mmol), KOH (20 equiv). Then EtOH (0.5 mL) was injected into the Schlenk tube under air atmosphere. The reaction tube was placed in an oil bath. After the reaction was carried out at 100 °C for 18 h, it was cooled to room temperature and detected by TLC, which was purified by flash chromatography (silica gel, DCM/EtOAc = 50:1), affording the desired product **13** as a white solid (27 mg, 96% yield).

**(f) General Procedure for the Synthesis of 14<sup>11</sup>.**

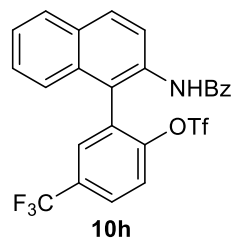
To a solution of **13** (28.5 mg, 0.1 mmol) in dry THF (3 mL), 3,5-bis(trifluoromethyl)phenyl isothiocyanate (1.1 equiv) was added at room temperature. The reaction mixture was stirred at 30 °C for 12 h. The reaction progress was monitored by TLC. After completion of the reaction, the reaction mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica gel to give 54 mg of **14** (98%).

**(g) General Procedure for the Synthesis of 15<sup>12</sup>.**

To a glass culture tube equipped with a stir bar was charged (*R*)-**7r** (38.9 mg, 0.1 mmol, 1.0 equiv), Cu(OAc)<sub>2</sub> (18.7 mg, 0.10 mmol, 1.00 equiv), phenylboronic acid (24.4 mg, 0.20 mmol, 2.00 equiv), and activated 4Å molecular sieves. The tube was then charged with 2.0 mL of EtOAc and Et<sub>3</sub>N (28.5 μL, 0.203 mmol, 2.03 equiv) via microsyringe. The reaction progress was monitored by TLC. After completion of the reaction, the reaction mixture was concentrated under reduced pressure and the residue was purified by flash chromatography (DCM) on silica gel to give 36 mg of **15** (77%).

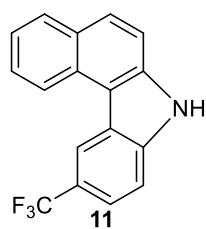
### 13. Analytical data of synthetic application products

#### (1) 2-(2-benzamidonaphthalen-1-yl)-4-(trifluoromethyl)phenyl trifluoromethanesulfonate (10h)



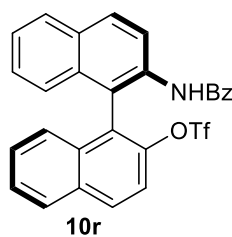
White solid, m.p. = 153-155 °C;  $R_f$  = 0.5 (PE/EA = 3/1);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.25 (d,  $J$  = 8.9 Hz, 1H), 8.06 (d,  $J$  = 9.0 Hz, 1H), 7.94 (d,  $J$  = 8.0 Hz, 1H), 7.90 (dd,  $J$  = 8.7, 2.1 Hz, 1H), 7.85 (d,  $J$  = 2.1 Hz, 1H), 7.72-.60 (m, 4H), 7.55-7.48 (m, 2H), 7.48-7.38 (m, 3H), 7.18 (d,  $J$  = 8.4 Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{CDCl}_3$ ):  $\delta$  166.0, 149.8, 134.4, 134.2, 132.04, 132.02, 131.98 (q,  $J_{\text{C-F}}$  = 34.0 Hz), 131.7, 131.4, 131.1 (q,  $J_{\text{C-F}}$  = 3.5 Hz), 130.8, 128.8, 128.5, 128.0 (q,  $J_{\text{C-F}}$  = 3.5 Hz), 127.4, 126.9, 125.9, 124.3, 123.3, 123.1, 122.9 (q,  $J_{\text{C-F}}$  = 274.7 Hz), 122.5, 118.1 (q,  $J_{\text{C-F}}$  = 321.3 Hz);  $^{19}\text{F}$  NMR (471 MHz,  $\text{CDCl}_3$ ):  $\delta$  -62.56 (s), -73.77 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{25}\text{H}_{16}\text{F}_6\text{NO}_4\text{S}]^+ [\text{M}+\text{H}]^+$ : 540.0699, found 540.0703

#### (2) 10-(trifluoromethyl)-7H-benzo[c]carbazole (11)



Yellow solid, m.p. = 118-119 °C;  $R_f$  = 0.3 (PE/EA = 3/1);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.78 (s, 1H), 8.70 (d,  $J$  = 8.3 Hz, 1H), 8.46 (s, 1H), 8.01 (d,  $J$  = 8.1 Hz, 1H), 7.88 (d,  $J$  = 8.8 Hz, 1H), 7.79- 7.72 (m, 1H), 7.67 (dd,  $J$  = 8.5, 1.0 Hz, 1H), 7.60-7.49 (m, 3H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{CDCl}_3$ ):  $\delta$  139.8, 137.8, 129.6, 129.41, 129.38, 128.5, 127.4, 125.4 (q,  $J_{\text{C-F}}$  = 272.2 Hz), 123.6, 123.4, 123.1, 122.4 (q,  $J_{\text{C-F}}$  = 31.8 Hz), 121.1 (q,  $J_{\text{C-F}}$  = 3.5 Hz), 119.4 (q,  $J_{\text{C-F}}$  = 4.2 Hz), 115.3, 112.4, 111.2;  $^{19}\text{F}$  NMR (471 MHz,  $\text{CDCl}_3$ ):  $\delta$  -59.86 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{17}\text{H}_{11}\text{F}_3\text{N}]^+ [\text{M}+\text{H}]^+$ : 286.0838, found 286.0827.

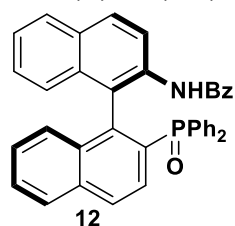
#### (3) (*R*)-2'-benzamido-[1,1'-binaphthalen]-2-yl trifluoromethanesulfonate (10r)



White solid, m.p. = 157-158 °C;  $R_f$  = 0.4 (PE/EA = 3/1);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.42 (d,  $J$  = 9.0 Hz, 1H), 8.10-7.98 (m, 2H), 7.88 (dd,  $J$  = 11.4, 8.3 Hz, 2H), 7.57 (s, 1H), 7.52 (d,  $J$  = 9.1 Hz, 1H), 7.48-7.41 (m, 1H), 7.37 (dd,  $J$  = 7.6, 4.0 Hz, 2H), 7.32 (dd,  $J$  = 11.1, 4.0 Hz, 1H), 7.29-7.19 (m, 4H), 7.14 (t,  $J$  = 7.7 Hz, 2H),

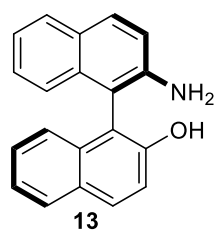
7.01 (d,  $J = 8.5$  Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{CDCl}_3$ ):  $\delta$  165.5, 145.4, 135.2, 134.4, 132.9, 132.8, 132.4, 131.9, 131.7, 131.4, 130.4, 128.8, 128.6, 128.5, 128.4, 127.9, 127.1, 126.8, 126.7, 126.2, 125.6, 124.7, 122.4, 119.8, 119.6, 118.2 (q,  $J_{\text{C-F}} = 320.3$  Hz);  $^{19}\text{F}$  NMR (471 MHz,  $\text{CDCl}_3$ ):  $\delta$  -74.12 (s); HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{28}\text{H}_{19}\text{F}_3\text{NO}_4\text{S}]^+$   $[\text{M}+\text{H}]^+$ : 522.0981, found 522.0992; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 20/80, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 9.259$  min,  $t_{\text{minor}} = 7.029$  min, ee = 99%;  $[\alpha]_{\text{D}}^{15} = +0.394$  ( $c = 0.27$ ,  $\text{CHCl}_3$ ).

**(4) (*R*)-*N*-(2'-(diphenylphosphoryl)-[1,1'-binaphthalen]-2-yl)benzamide (12)**



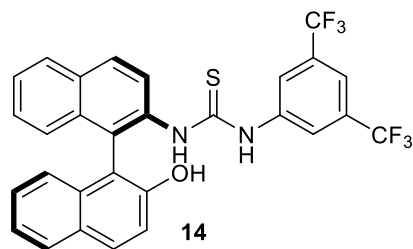
White solid, m.p. = 96-97 °C;  $R_f = 0.2$  (PE/EA = 2/1);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  10.58 (s, 1H), 7.95-7.82 (m, 4H), 7.77 (ddd,  $J = 24.7, 12.3, 5.1$  Hz, 3H), 7.63 (d,  $J = 8.8$  Hz, 1H), 7.47 (ddd,  $J = 11.5, 7.3, 5.2$  Hz, 4H), 7.42-7.33 (m, 2H), 7.33 – 7.22 (m, 3H), 7.12 (tdd,  $J = 14.5, 9.3, 5.4$  Hz, 5H), 6.86 (t,  $J = 7.3$  Hz, 1H), 6.71 (t,  $J = 7.1$  Hz, 1H), 6.59 (td,  $J = 7.7, 2.9$  Hz, 2H), 6.42 (d,  $J = 8.4$  Hz, 1H);  $^{13}\text{C}$  NMR (126 MHz,  $\text{CDCl}_3$ ):  $\delta$  166.0, 141.3 (d,  $J_{\text{C-P}} = 8.5$  Hz), 136.2, 134.9 (d,  $J_{\text{C-P}} = 2.0$  Hz), 134.6, 133.4 (d,  $J_{\text{C-P}} = 11.1$  Hz), 133.0, 132.2, 132.1, 132.1, 131.2, 131.1, 131.0 (d,  $J_{\text{C-P}} = 61.7$  Hz), 130.2 (d,  $J_{\text{C-P}} = 2.7$  Hz), 130.0, 129.8 (d,  $J_{\text{C-P}} = 10.0$  Hz), 129.6, 129.6, 129.5 (d,  $J_{\text{C-P}} = 4.9$  Hz), 128.8 (d,  $J_{\text{C-P}} = 11.8$  Hz), 128.5, 128.4 (d,  $J_{\text{C-P}} = 12.5$  Hz), 128.3, 127.73, 127.71, 127.68, 127.62, 127.57, 127.5, 127.3 (d,  $J_{\text{C-P}} = 12.5$  Hz), 126.6, 125.8 (d,  $J_{\text{C-P}} = 53.4$  Hz), 124.9;  $^{31}\text{P}$  NMR (202 MHz,  $\text{CDCl}_3$ ):  $\delta$  28.63; HRMS (ESI)  $m/z$  calcd for  $[\text{C}_{39}\text{H}_{29}\text{NO}_2\text{P}]^+$   $[\text{M}+\text{H}]^+$ : 574.1930, found 574.1919; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 15/85, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 17.111$  min,  $t_{\text{minor}} = 21.659$  min, ee = 99%;  $[\alpha]_{\text{D}}^{16} = -0.246$  ( $c = 0.30$ ,  $\text{CHCl}_3$ ).

**(5) (*R*)-2'-amino-[1,1'-binaphthalen]-2-ol (13)<sup>13</sup>**



Analytical data are in accordance with the literature values. HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 15/85, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 5.662$  min,  $t_{\text{minor}} = 9.454$  min, ee = 99%;  $[\alpha]_{\text{D}}^{16} = +0.148$  ( $c = 0.27$ ,  $\text{CHCl}_3$ ).

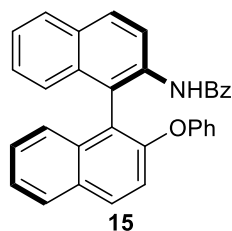
(6) (*R*)-1-(3,5-bis(trifluoromethyl)phenyl)-3-(2'-hydroxy-[1,1'-binaphthalen]-2-yl)thiourea (14)<sup>14</sup>



Analytical data are in accordance with the literature values. HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 10/90, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 2.814$  min,  $t_{\text{minor}} = 3.813$  min, ee = 99%;  $[\alpha]_{\text{D}}^{18} = +$

0.347 (c = 0.20, CHCl<sub>3</sub>).

(7) (*R*)-*N*-(2'-phenoxy-[1,1'-binaphthalen]-2-yl)benzamide (15)



White solid, m.p. = 225-226 °C;  $R_f = 0.5$  (PE/EA = 5/1); <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  9.16 (s, 1H), 8.04 (ddd,  $J = 23.5, 19.6, 9.1$  Hz, 5H), 7.45 (dd,  $J = 14.8, 7.4$  Hz, 3H), 7.34 (dt,  $J = 21.2, 7.0$  Hz, 6H), 7.27 (d,  $J = 9.0$  Hz, 1H), 7.20 (dd,  $J = 16.5, 8.4$  Hz, 3H), 7.12 (d,  $J = 8.4$  Hz, 1H), 6.99 (t,  $J = 7.3$  Hz, 1H), 6.87 (d,  $J = 7.9$

Hz, 2H); <sup>13</sup>C NMR (126 MHz, DMSO-*d*<sub>6</sub>):  $\delta$  166.2, 156.7, 152.8, 135.4, 135.3, 133.8, 133.0, 131.8, 131.6, 131.0, 130.5, 130.2, 128.7, 128.5, 127.7, 127.4, 126.8, 126.1, 125.7, 125.5, 125.3, 125.0, 123.8, 121.3, 119.2, 119.1, 115.7; HRMS (ESI)  $m/z$  calcd for [C<sub>33</sub>H<sub>23</sub>NO<sub>2</sub>]<sup>+</sup> [M+H]<sup>+</sup>: 466.1802, found 466.1794; HPLC: the ee value was determined by HPLC analysis (Chiralcel IA, *i*-PrOH/Hexane = 5/95, 1.0 mL/min, 227 nm), retention time:  $t_{\text{major}} = 25.508$  min,  $t_{\text{minor}} = 31.078$  min, ee = 99%;  $[\alpha]_{\text{D}}^{15} = -0.435$  (c = 0.60, CHCl<sub>3</sub>).

## 14. References

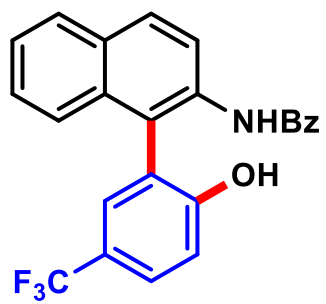
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## 15. X-Ray crystal structure data for compound 7h and (R)-7o

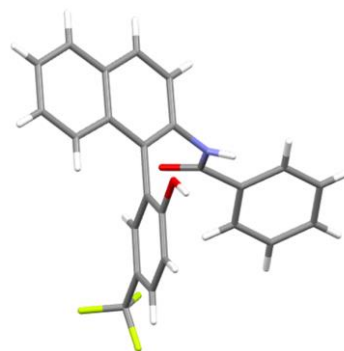
Single crystal was chosen under an optical microscope and quickly coated with high vacuum grease (Dow Corning Corporation) to prevent decomposition. Intensity data and cell parameters were recorded at 173 K on a Bruker Apex II single crystal diffractometer, employing a Mo K $\alpha$  radiation ( $\lambda = 0.71073 \text{ \AA}$ ) and a CCD area detector. The raw frame data were processed using SAINT and SADABS to yield the reflection data file.<sup>1</sup> The structure was solved using the charge-flipping algorithm, as implemented in the program *SUPERFLIP*<sup>2</sup> and refined by full-matrix least-squares techniques against  $F_o$ <sup>2</sup> using the SHELXL program<sup>3</sup> through the OLEX2 interface.<sup>4</sup> Hydrogen atoms at carbon were placed in calculated positions and refined isotropically by using a riding model. Appropriate restraints or constraints were applied to the geometry and the atomic displacement parameters of the atoms in the cluster. All structures were examined using the Addsym subroutine of PLATON<sup>5</sup> to ensure that no additional symmetry could be applied to the models. **CCDC 1968925 (7h)** and **CCDC 1974649 ((R)-7o)** contain the supplementary crystallographic data for this paper. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre.

### References

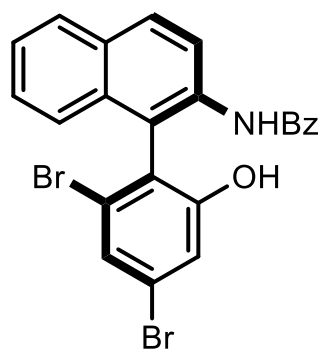
1. *APEX3, SAINT and SADABS*. Bruker AXS Inc., Madison, Wisconsin, USA, 2015.
2. L. Palatinus, G and Chapuis, *J. Appl. Crystallogr.*, 2007, **40**, 786.
3. G. M. Sheldrick, *Acta. Crystallogr. Sect. C*, 2015, **71**, 3.
4. O. V. Dolomanov, L. J. Bourhis, R. J. Gildea, J. A. K. Howard and H. Puschmann, *J. Appl. Crystallogr.*, 2009, **42**, 339.
5. A. L. Spek, *Acta. Crystallogr. Sect. D.*, 2009, **65**, 148.



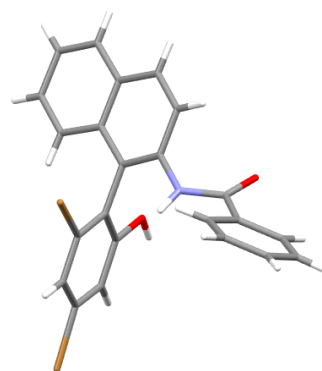
7h



CCDC: 1968925

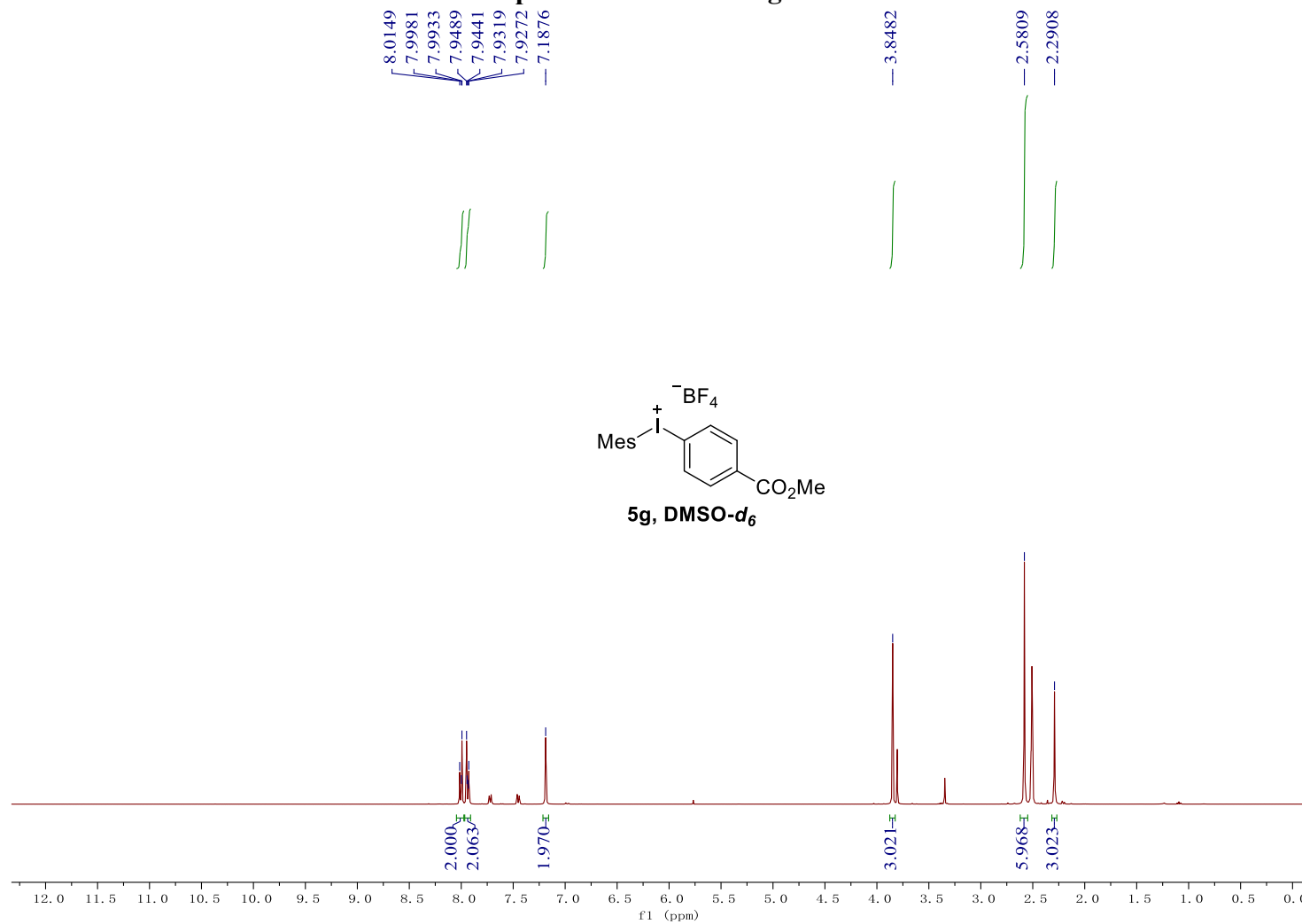


(R)-7o

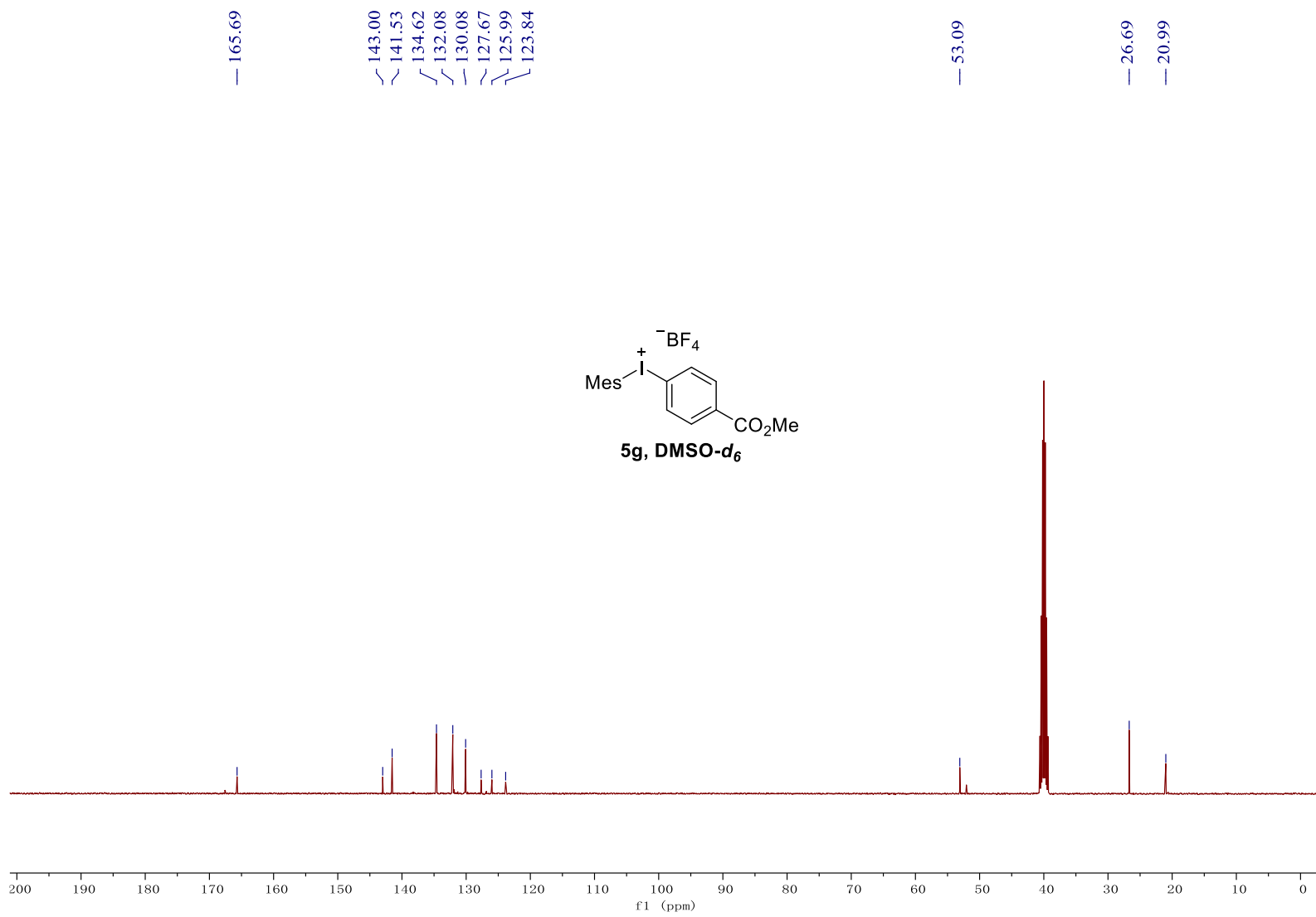


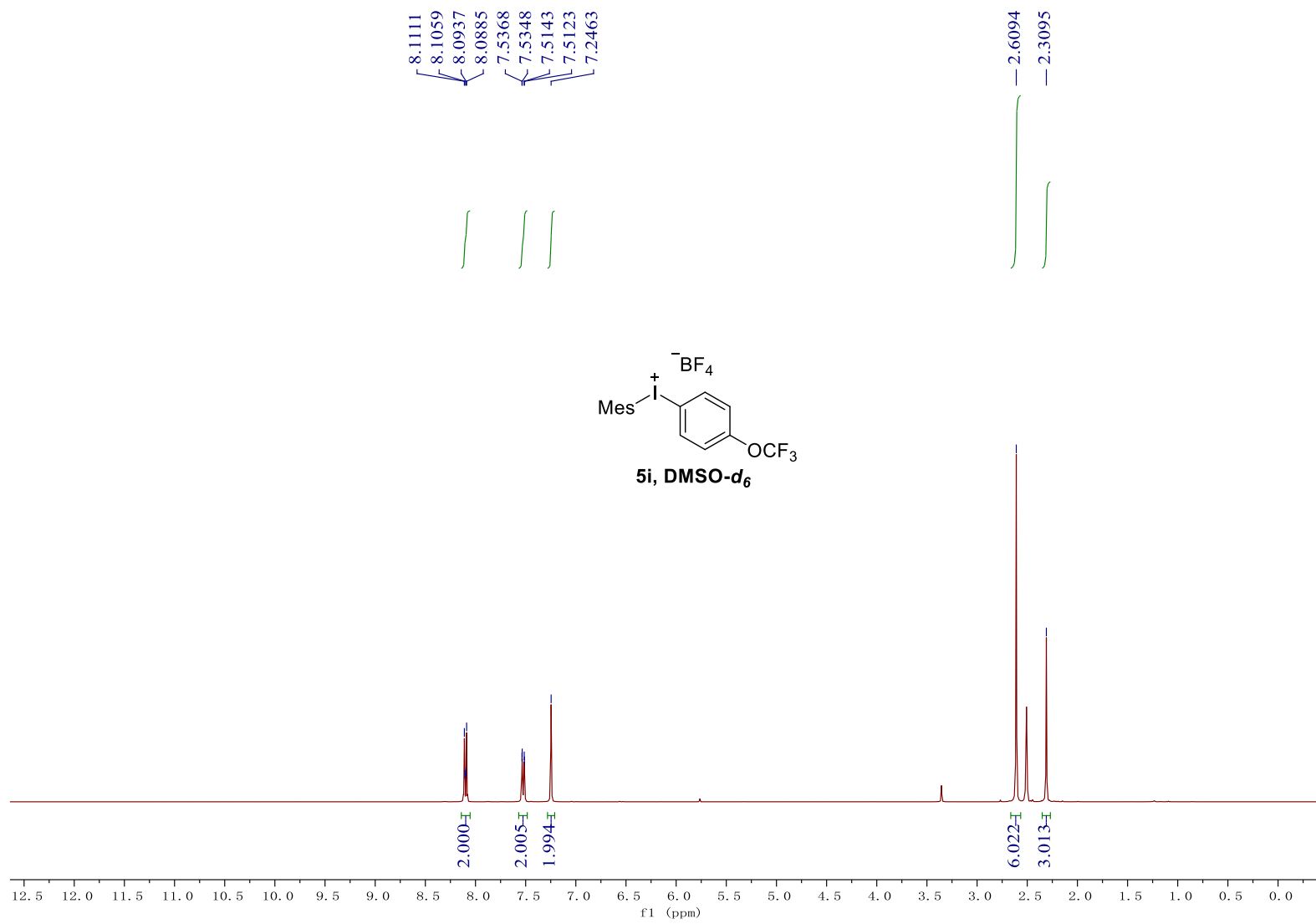
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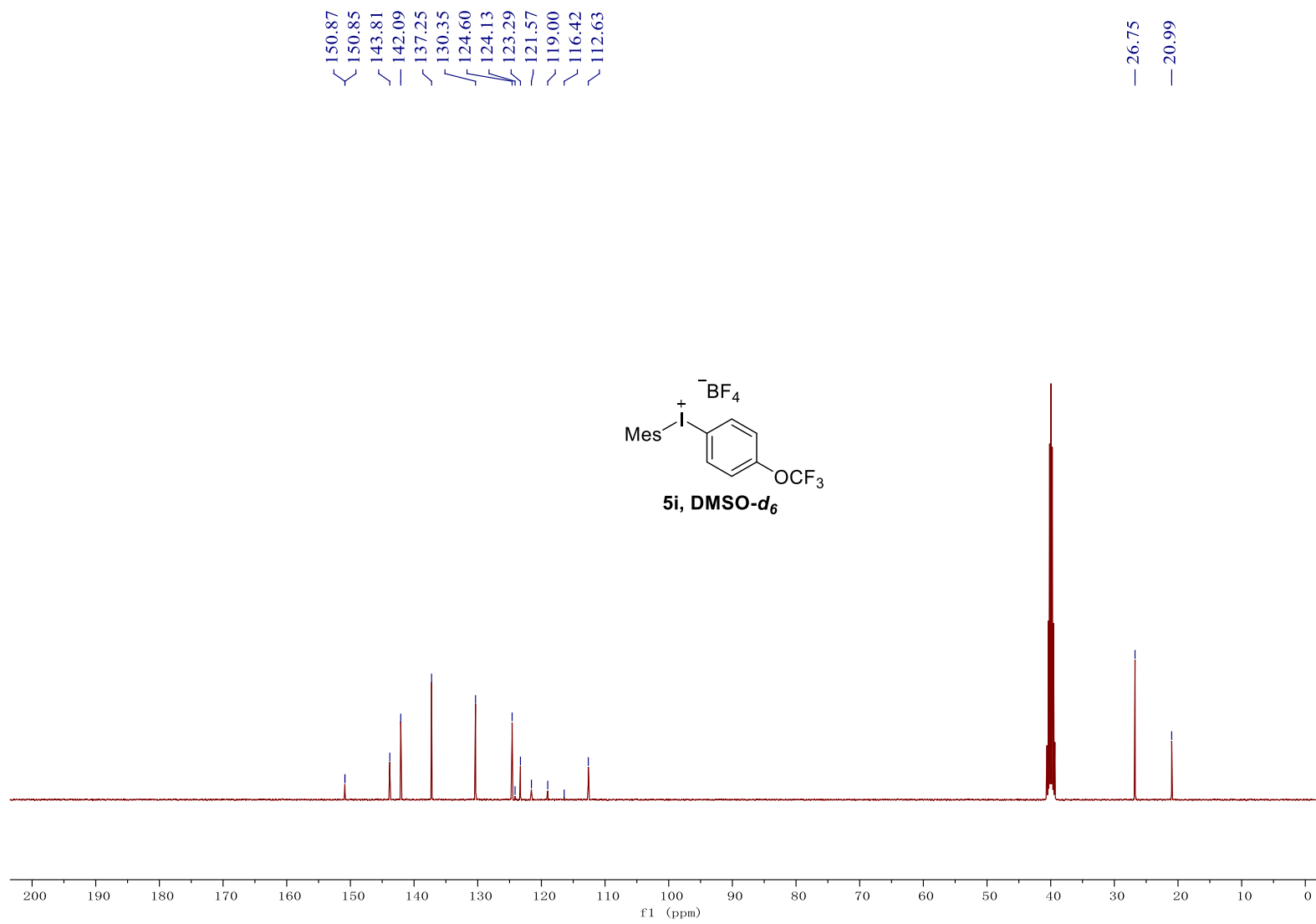
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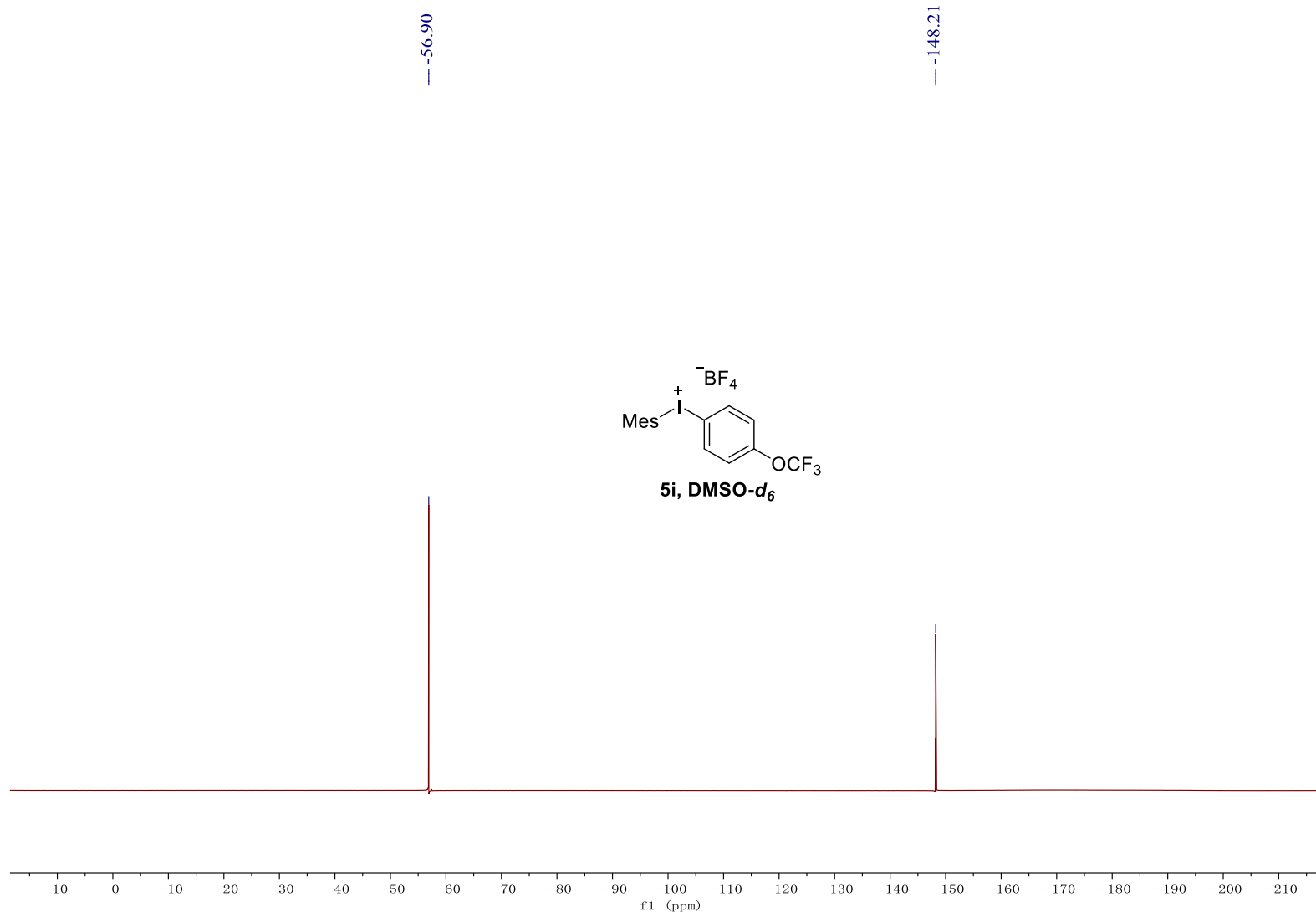


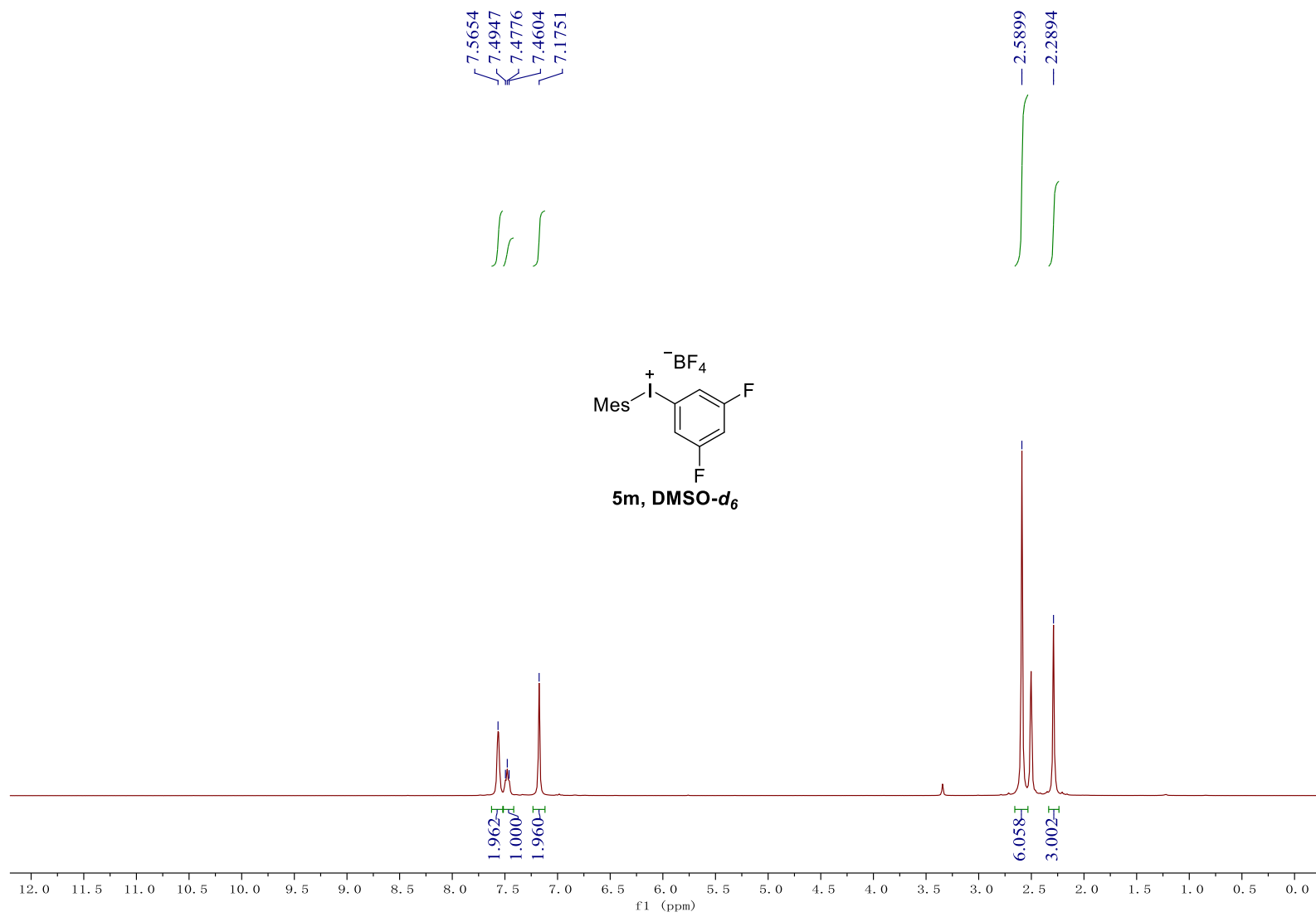


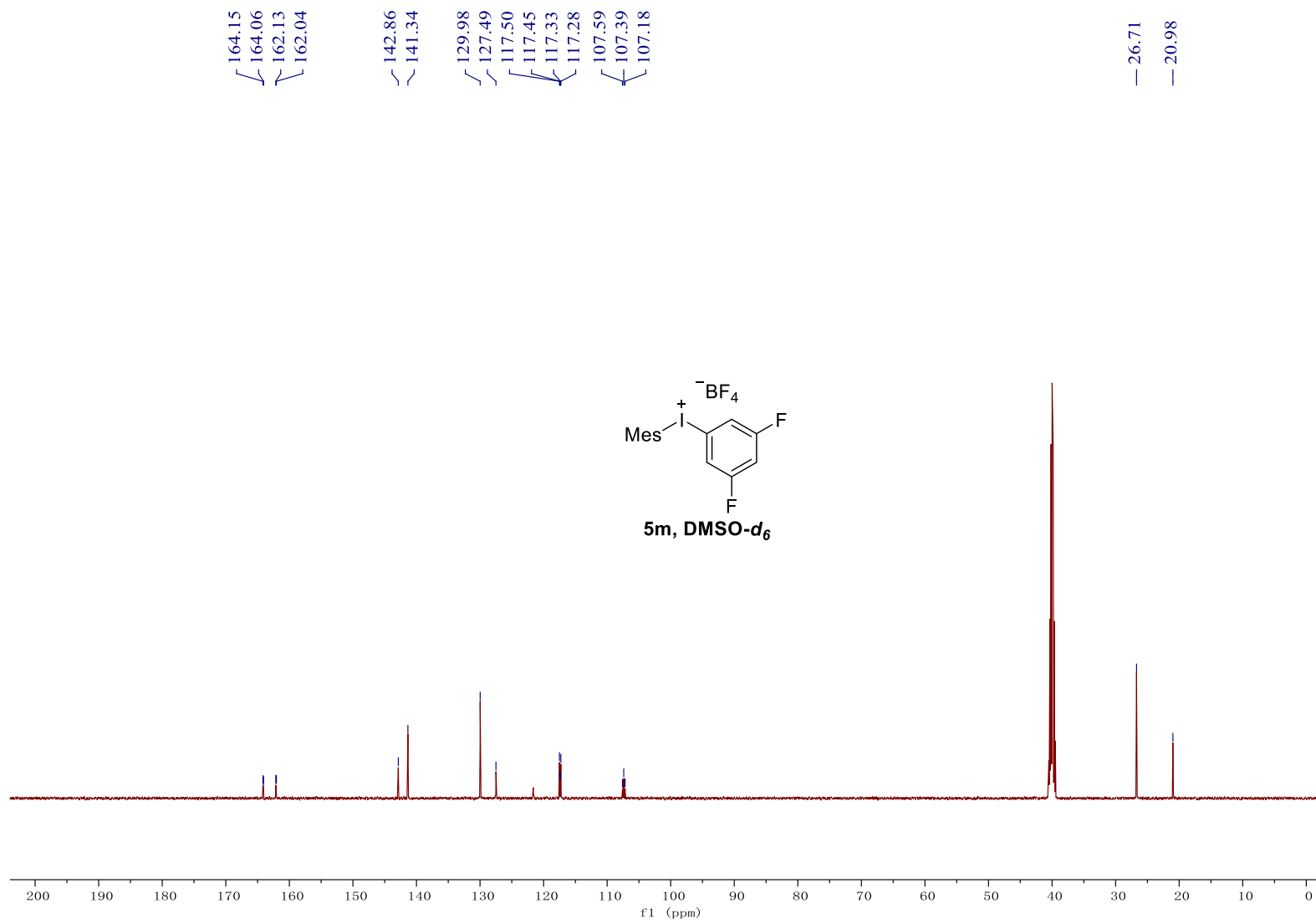




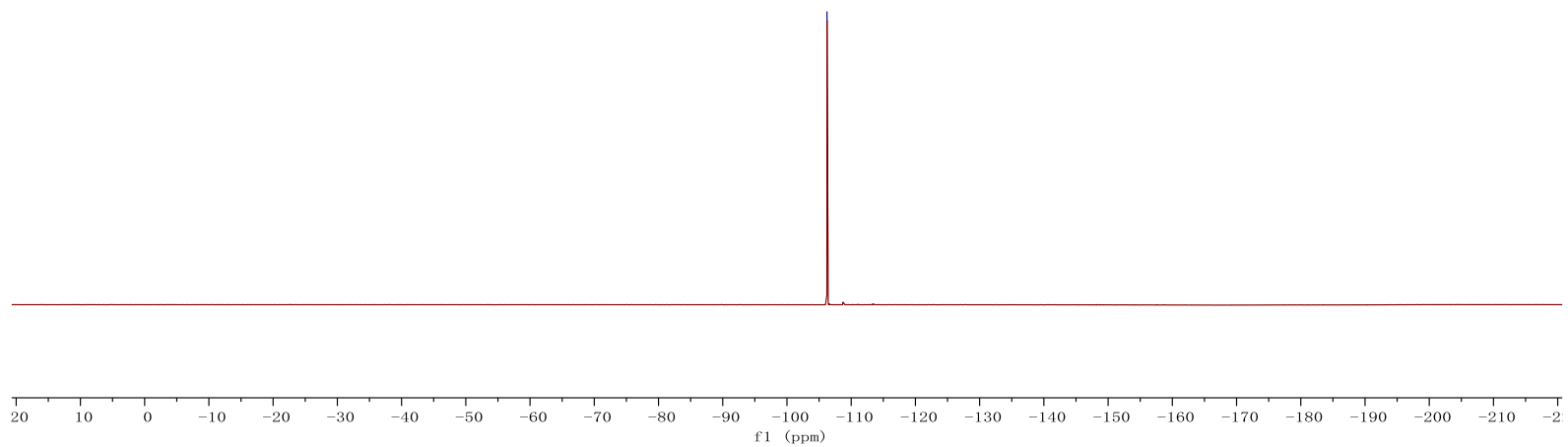
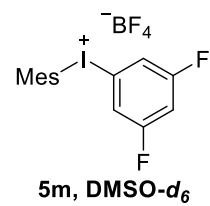


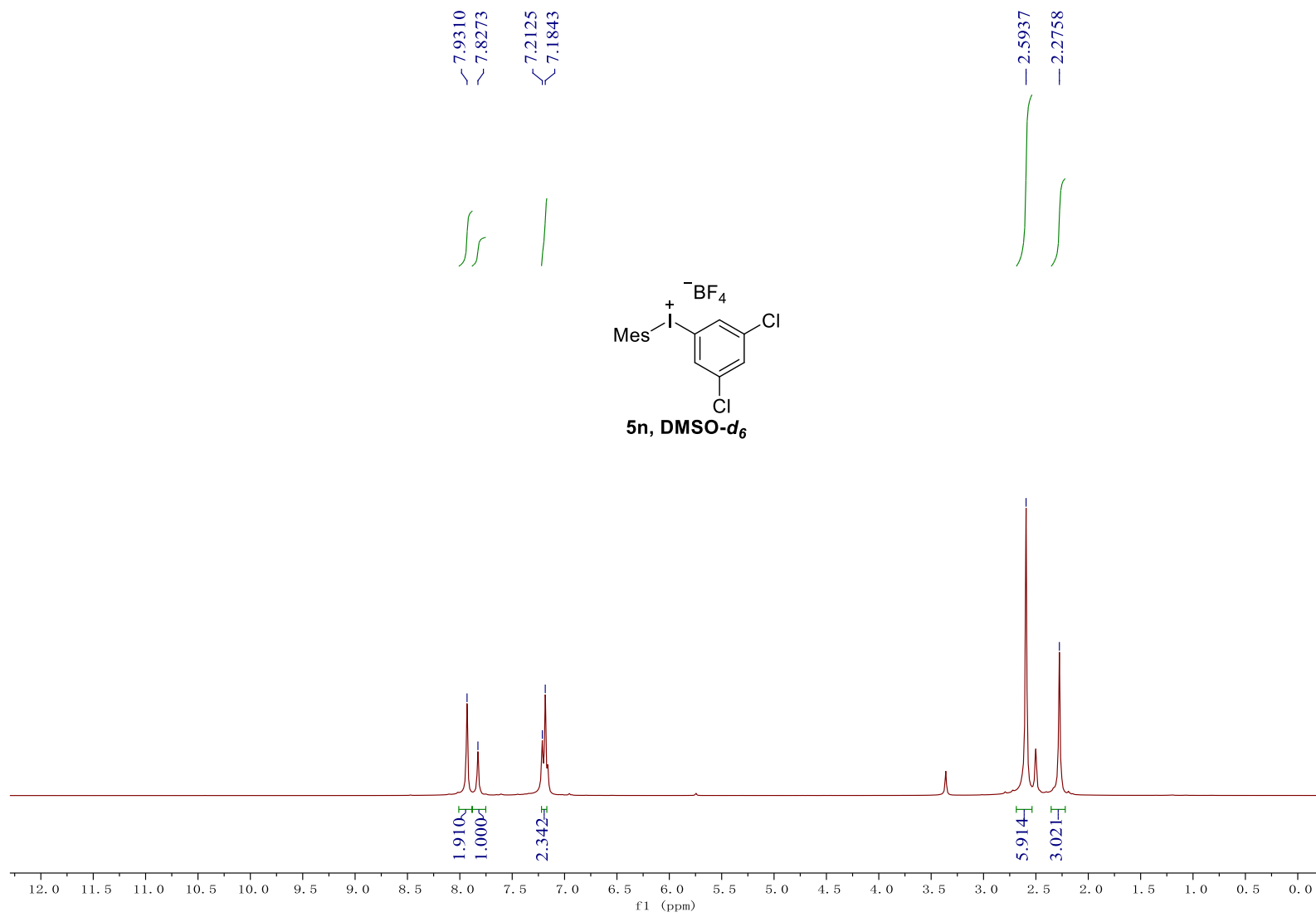






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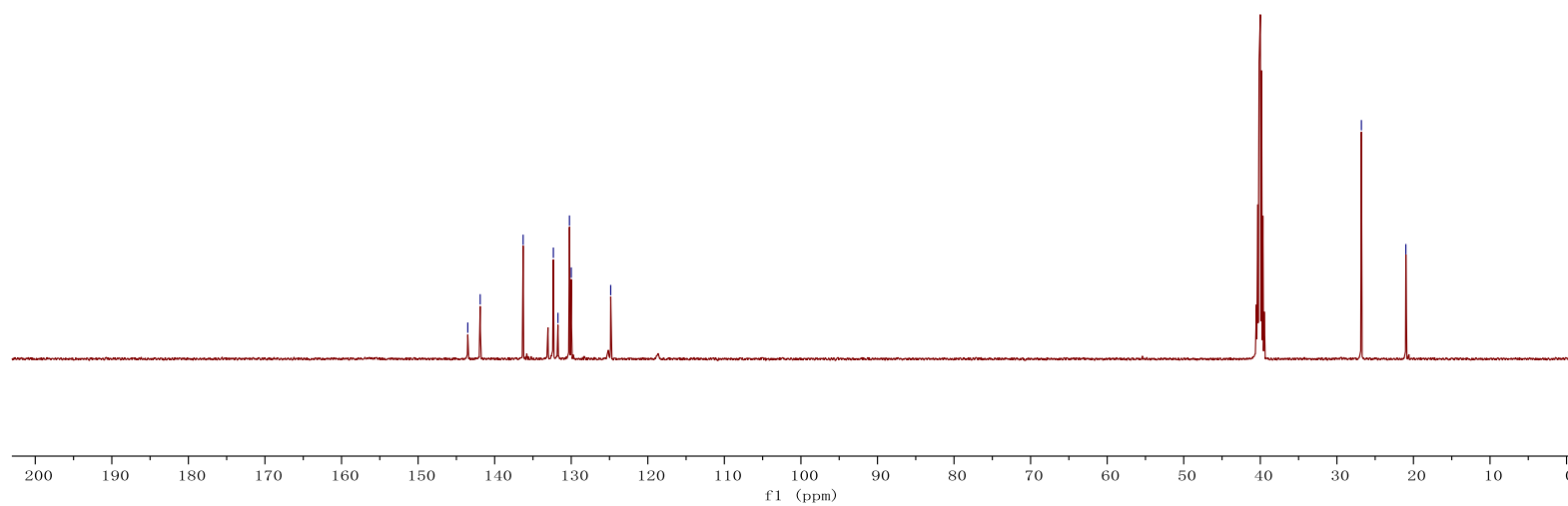
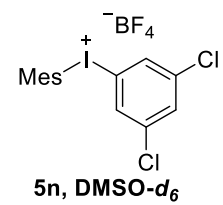


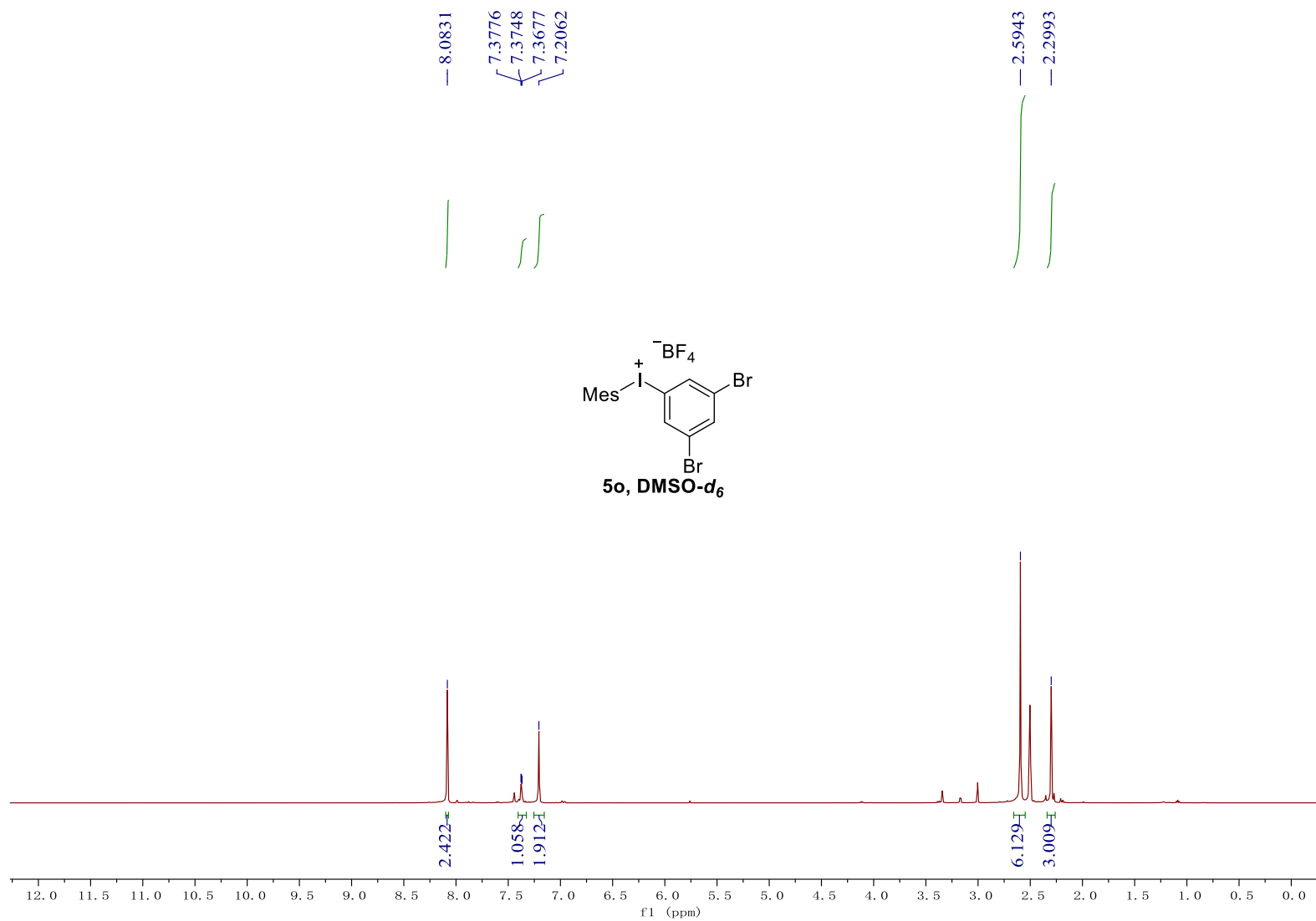


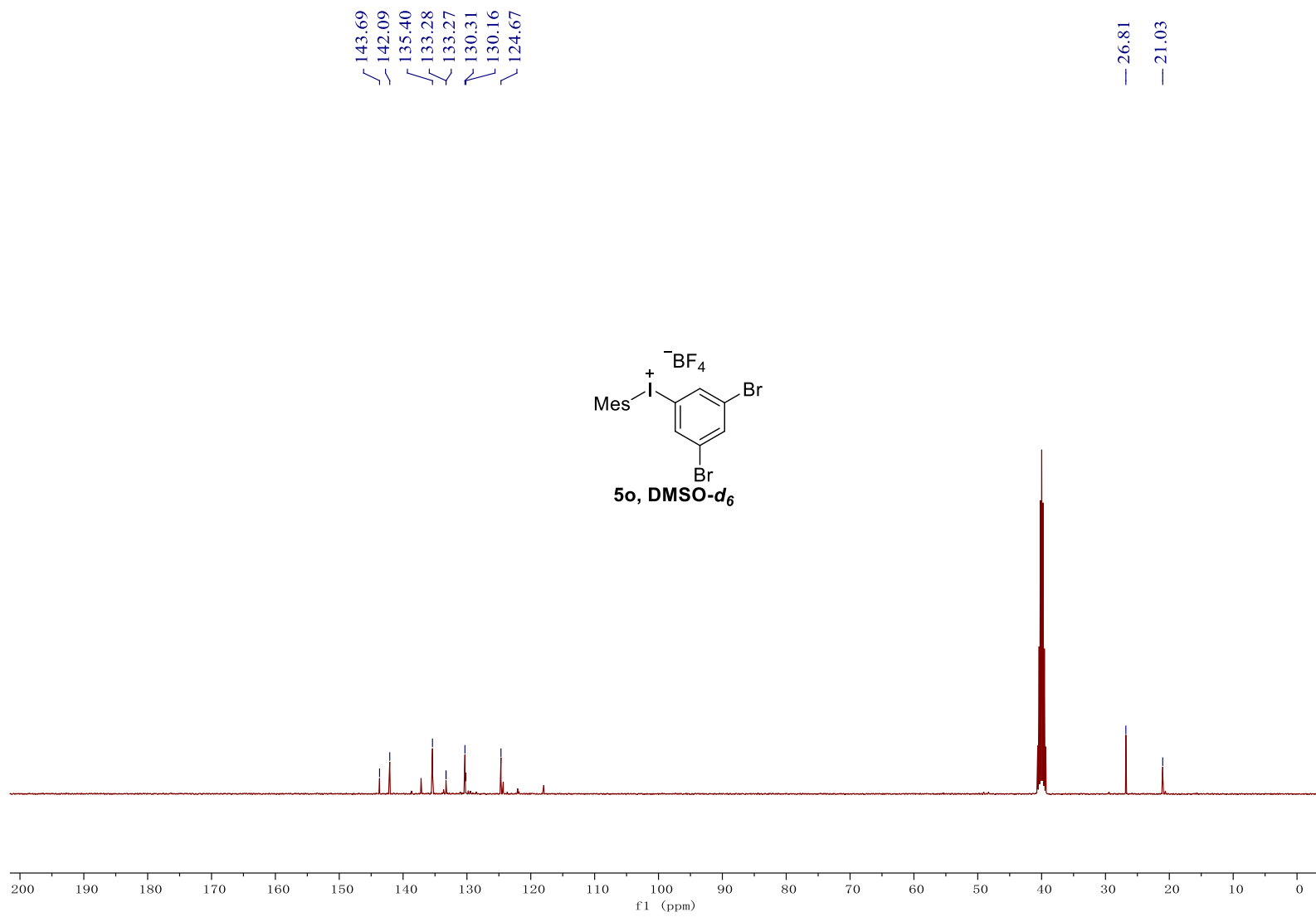


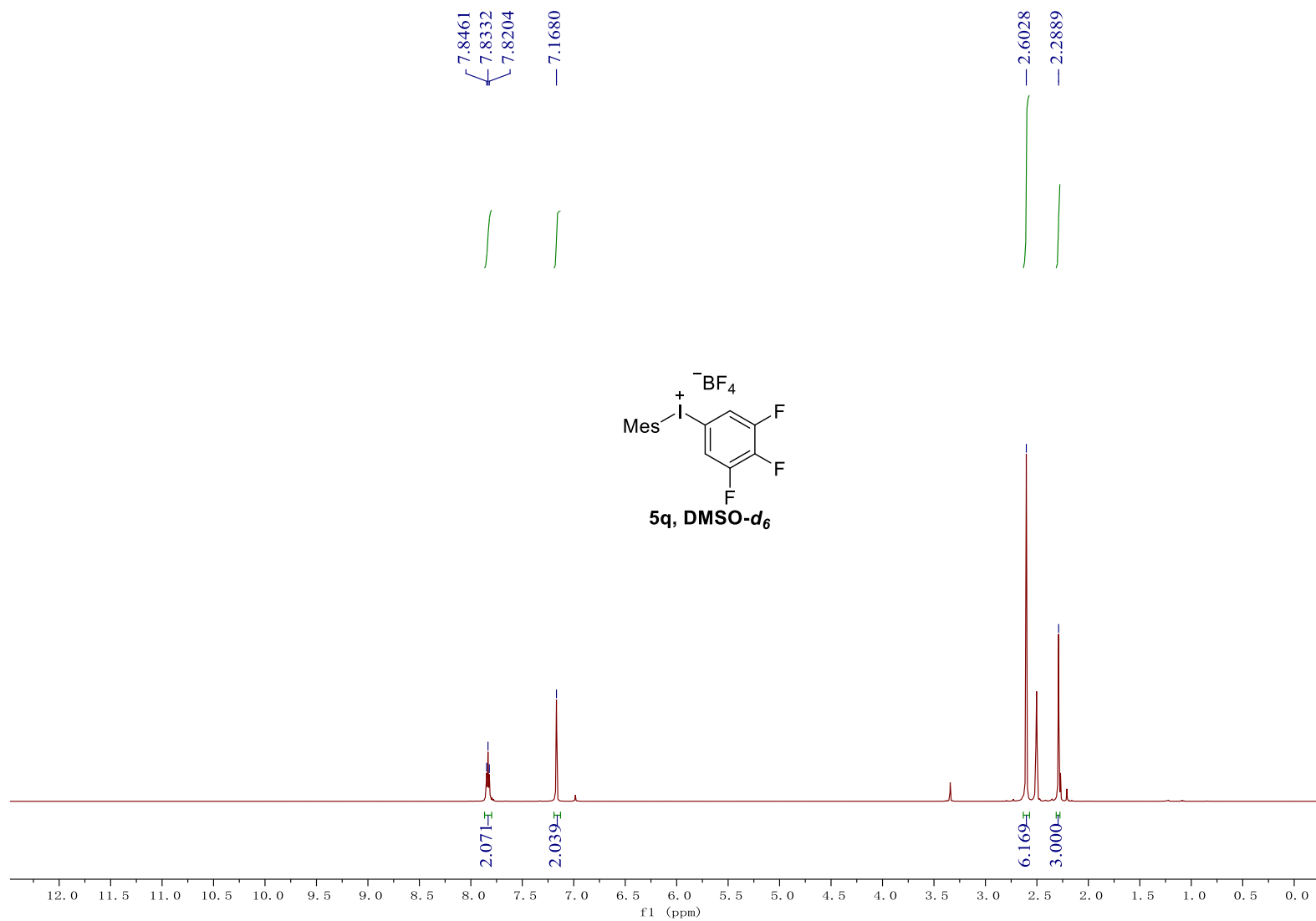
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130.23  
130.00  
124.84

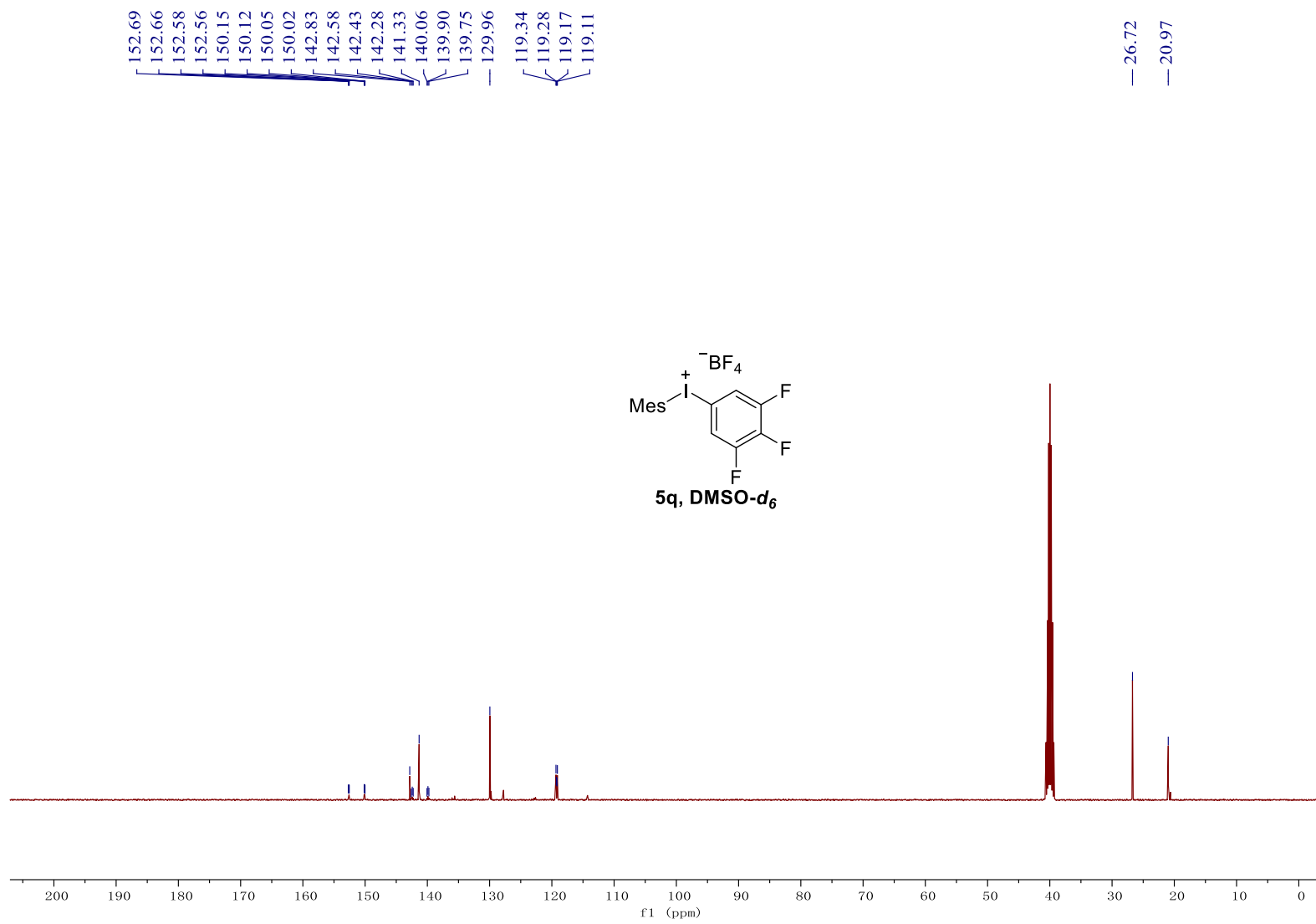
26.80  
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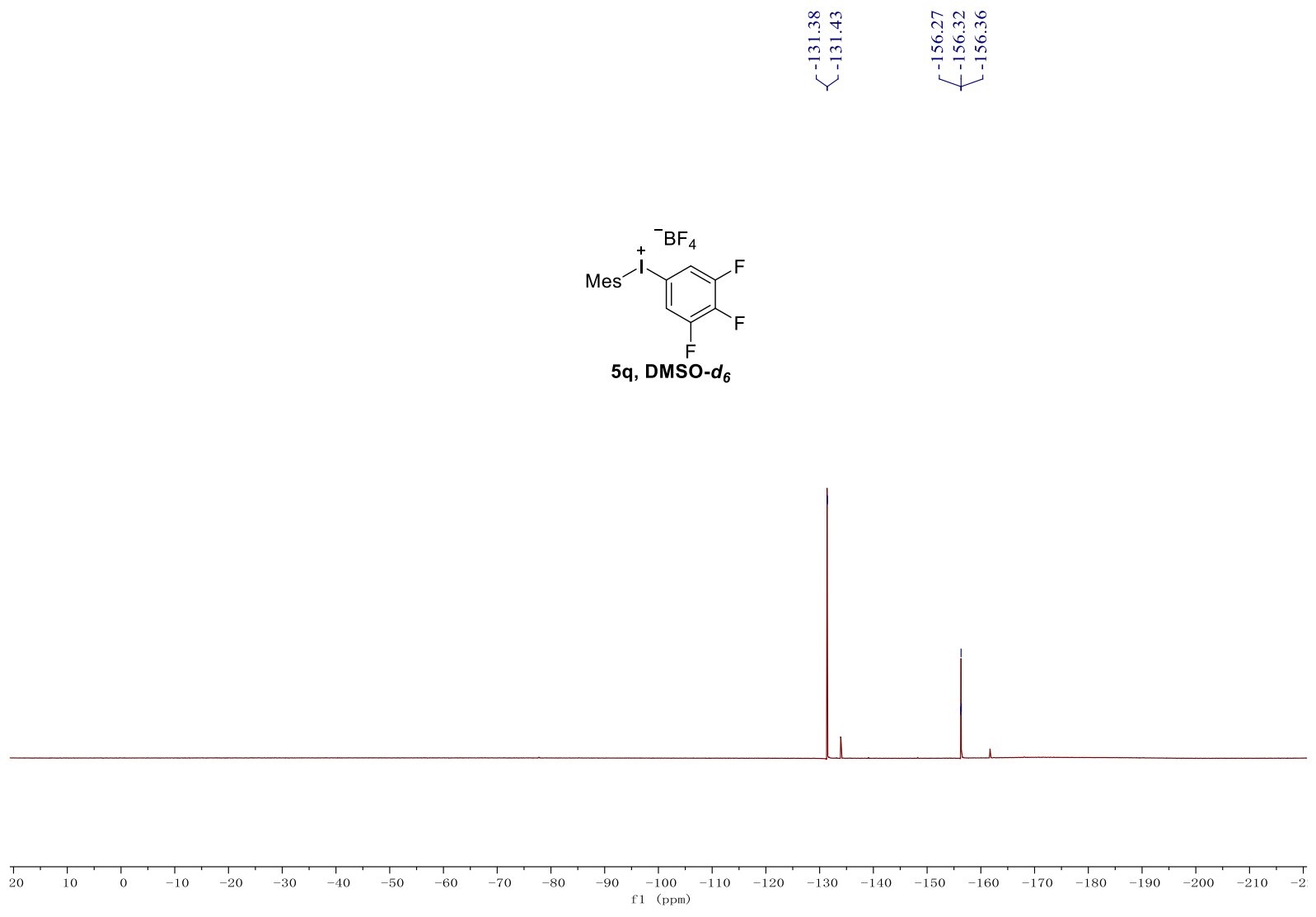


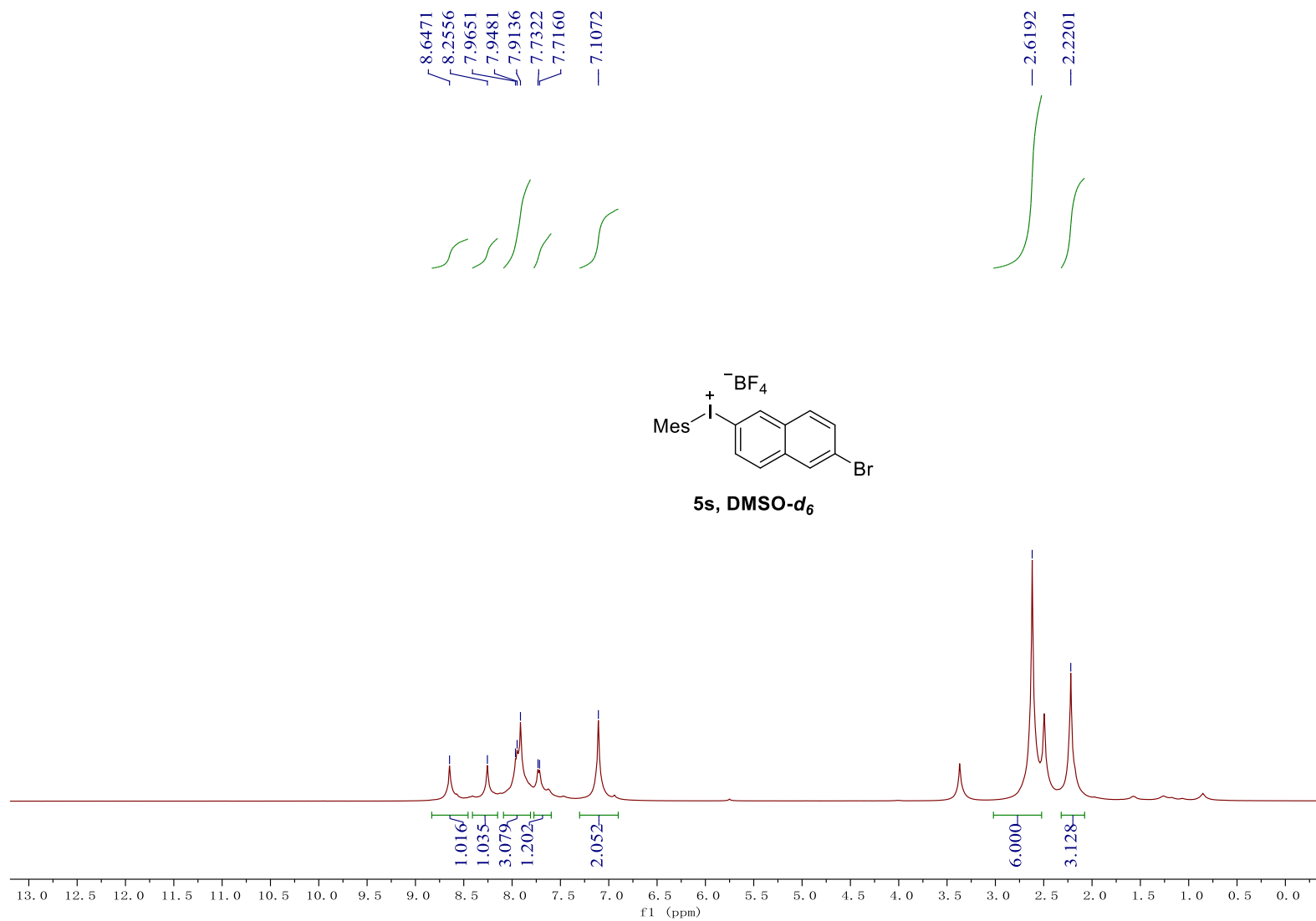


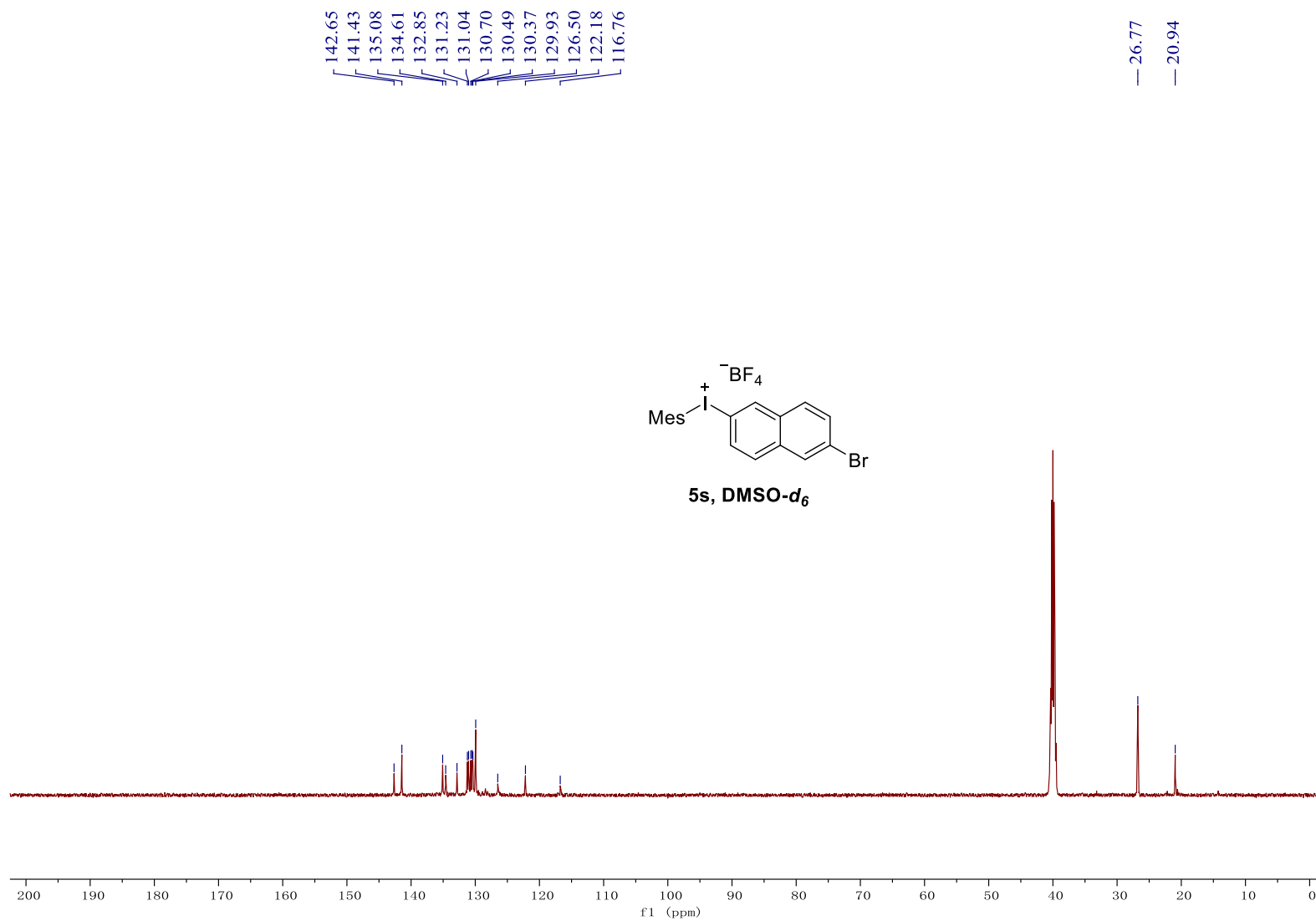




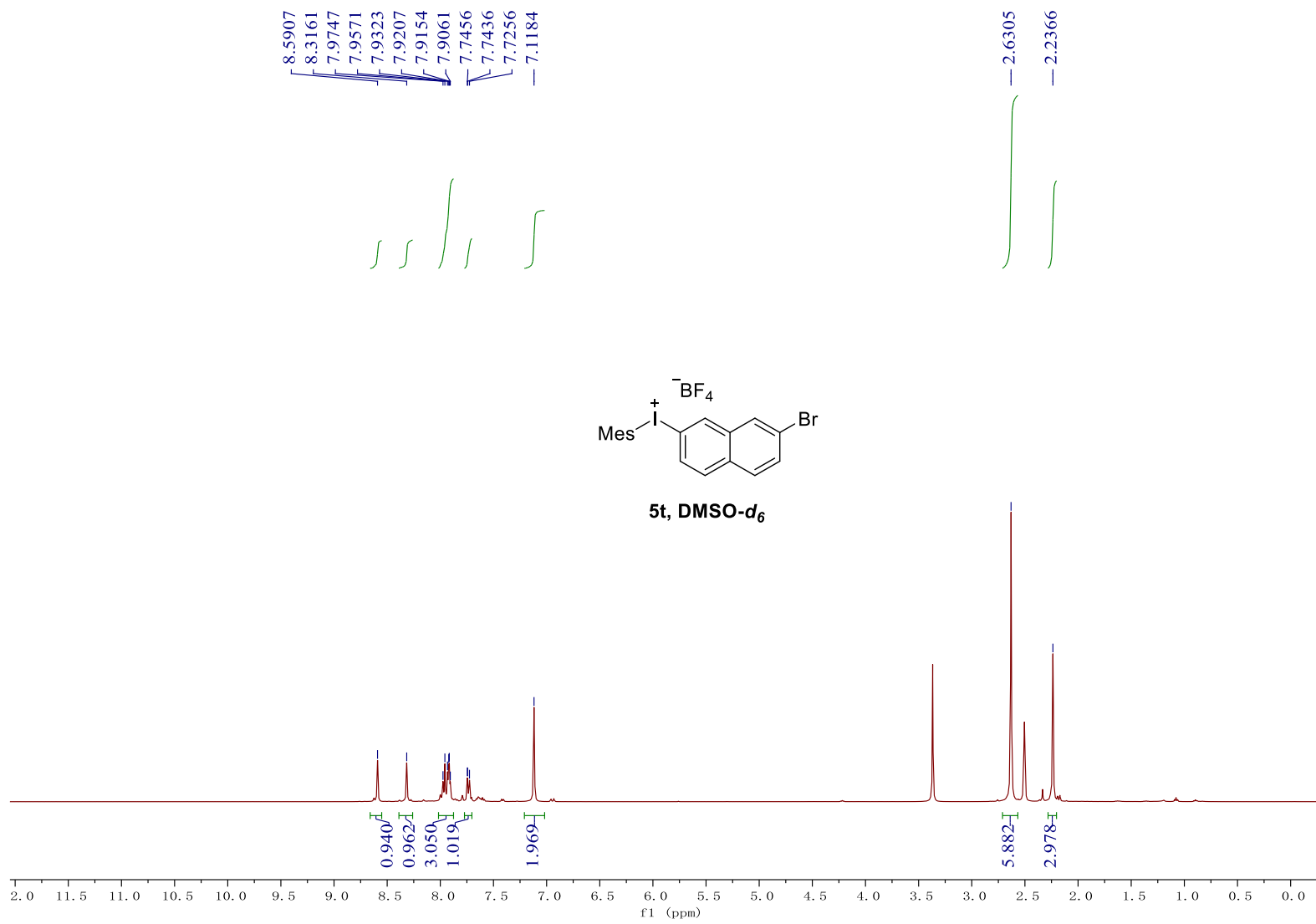






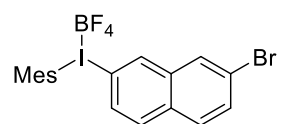




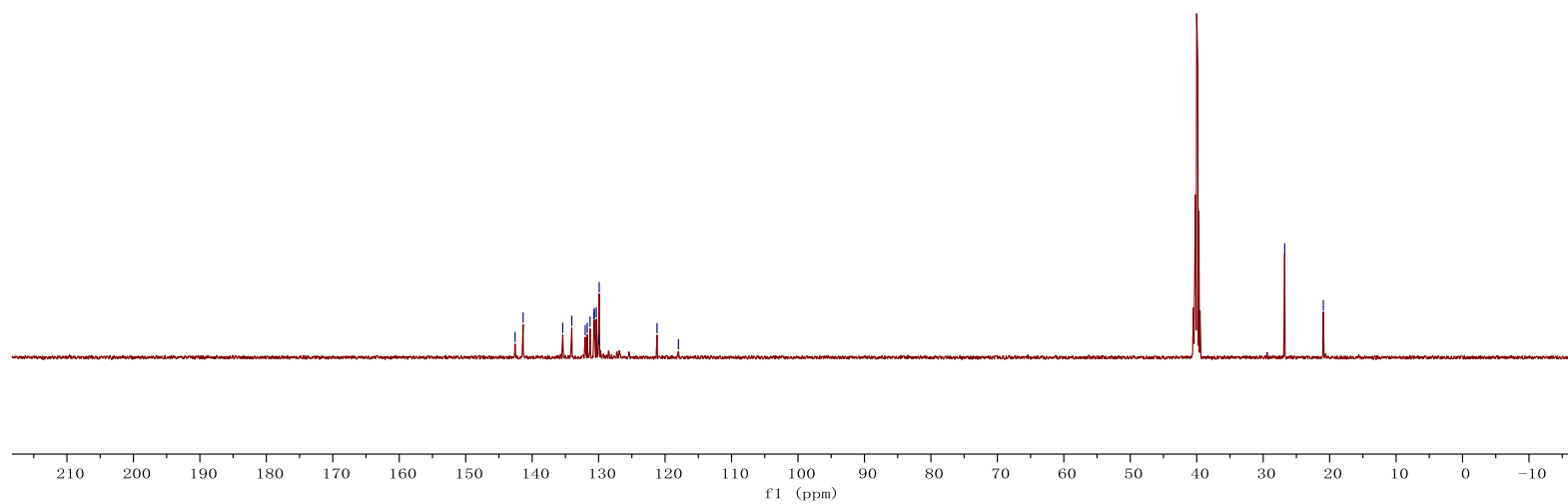


142.57  
141.36  
135.40  
134.04  
132.01  
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129.98  
129.91  
121.21  
117.99

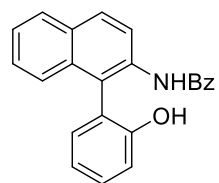
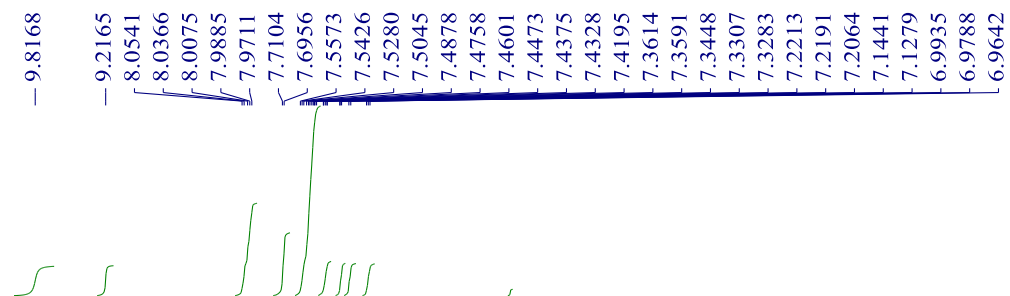
26.76  
20.95



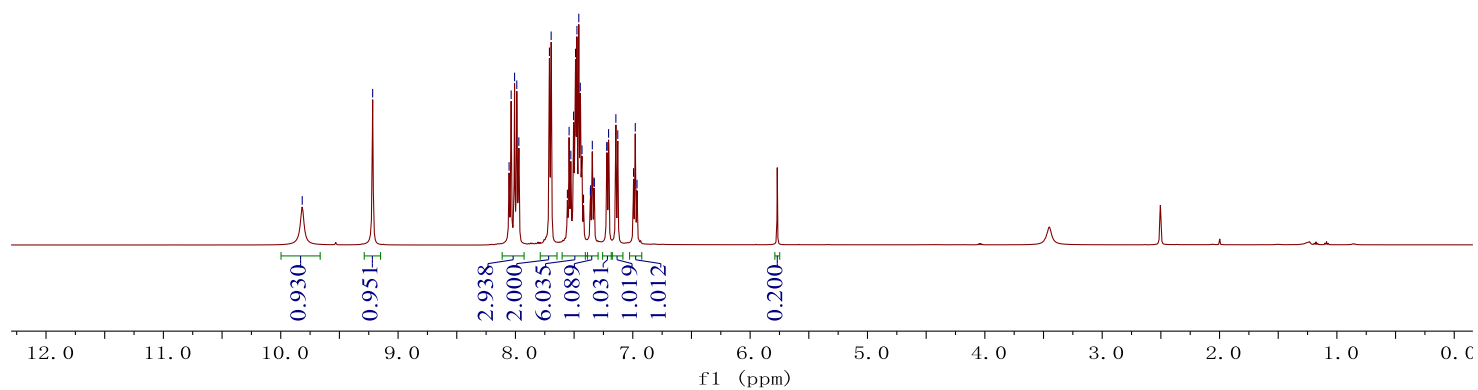
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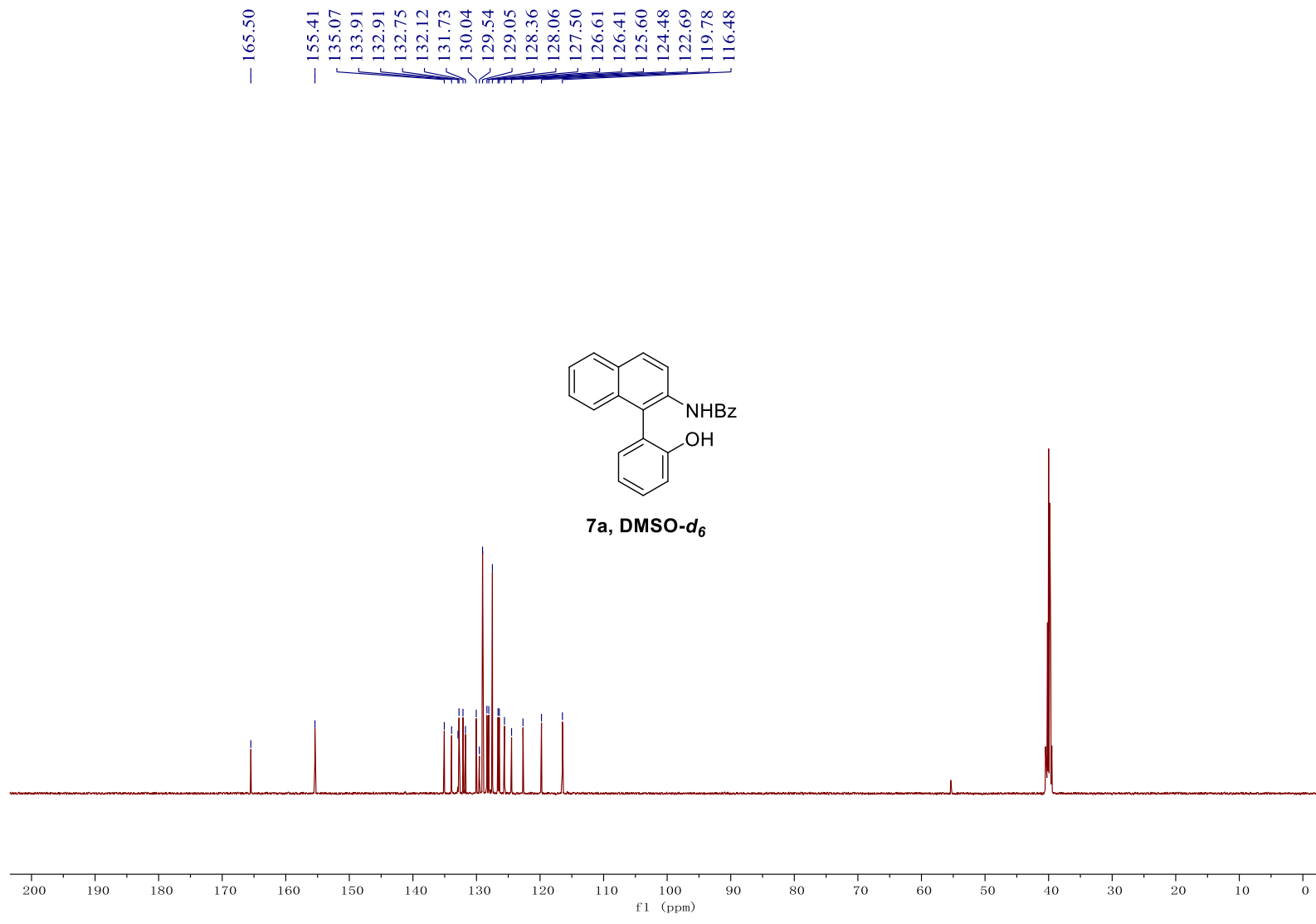


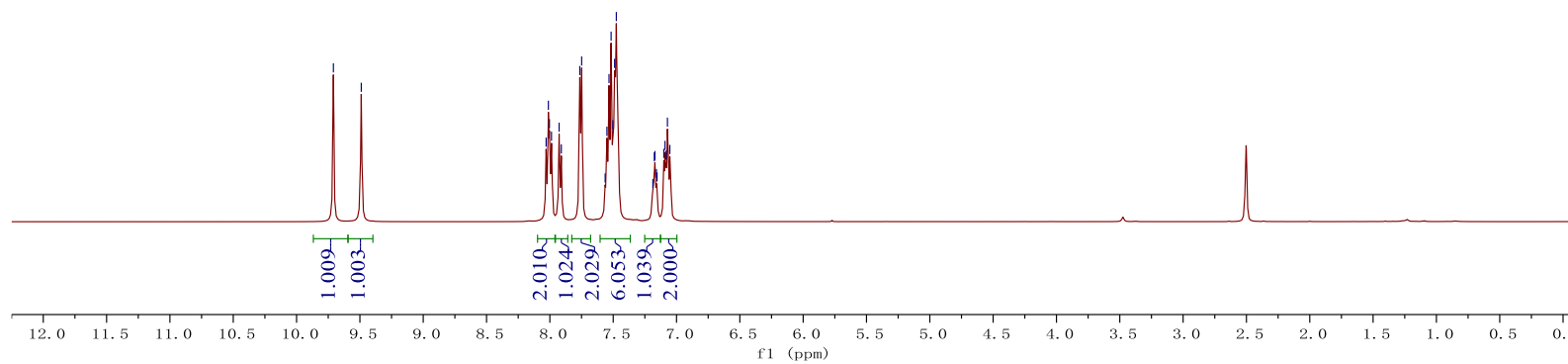
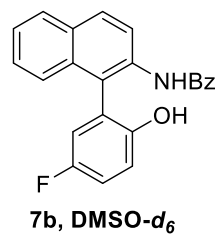
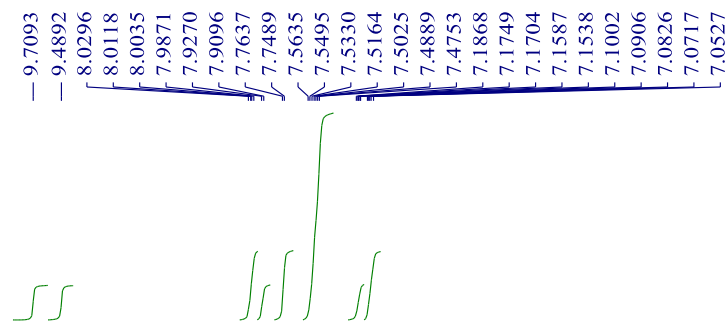
### NMR spectra for the products and synthetic application products



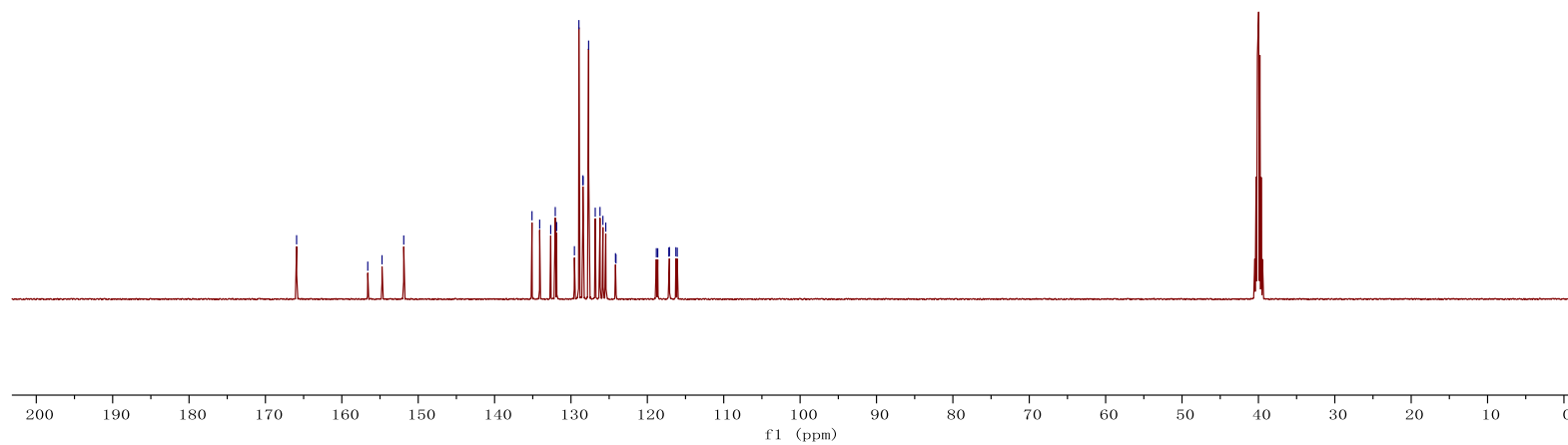
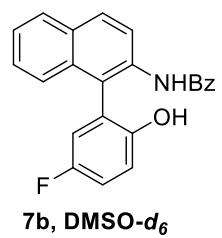
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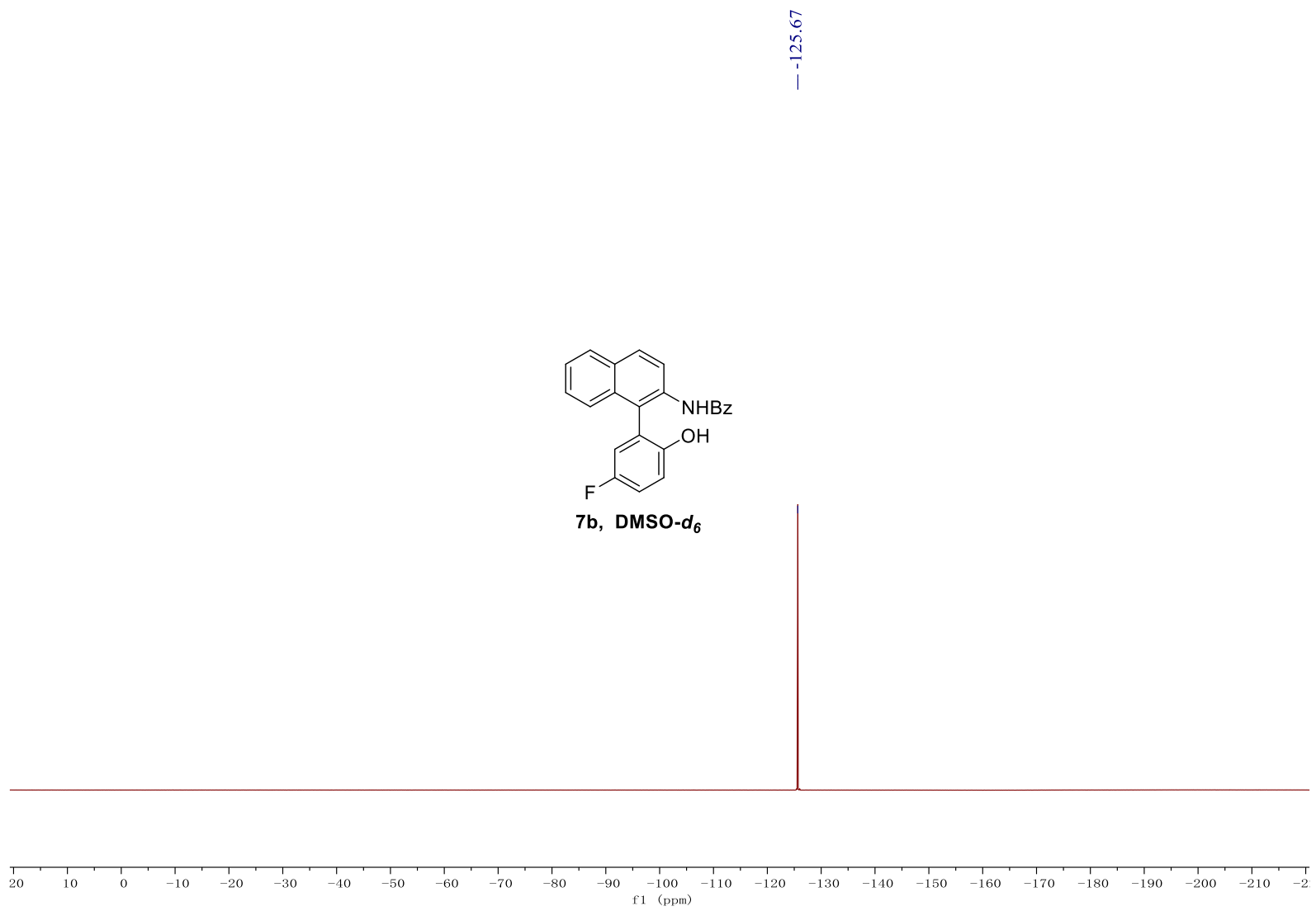


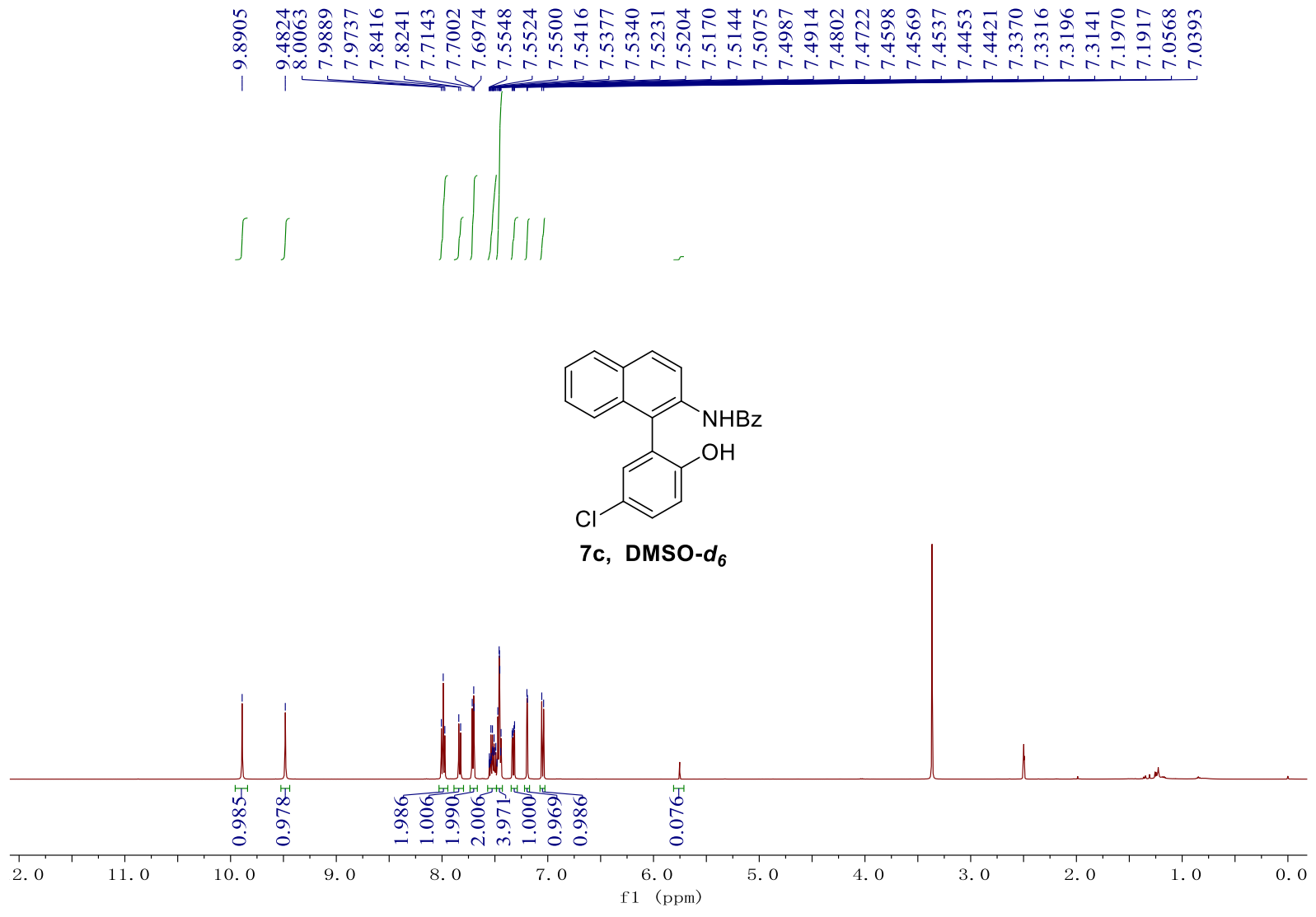




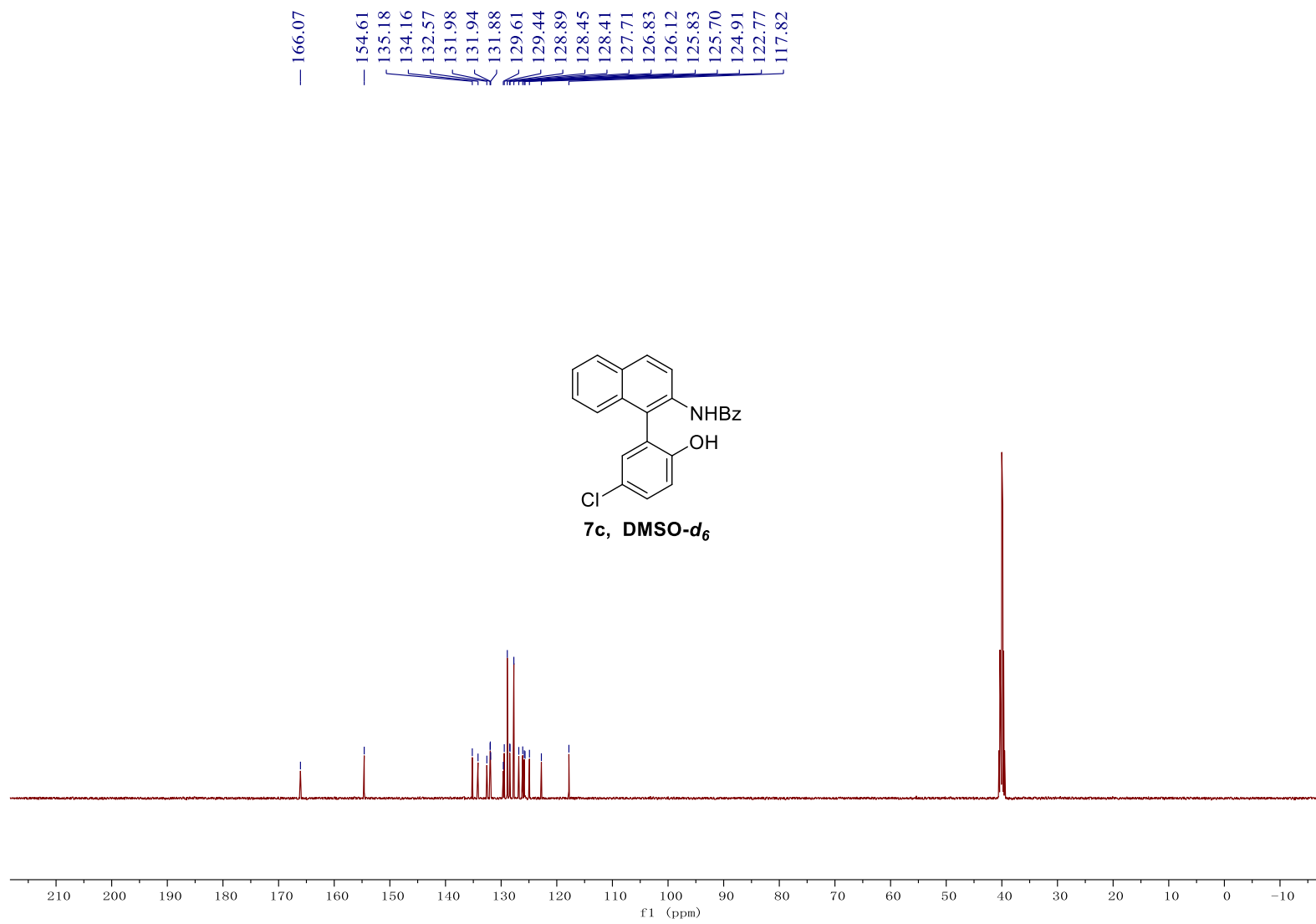
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~ 156.60  
~ 154.74  
~ 151.89  
| 135.10  
| 134.10  
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| 132.06  
| 131.88  
| 129.55  
| 128.96  
| 128.43  
| 128.42  
| 127.69  
| 126.82  
| 126.20  
| 125.82  
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| 116.09

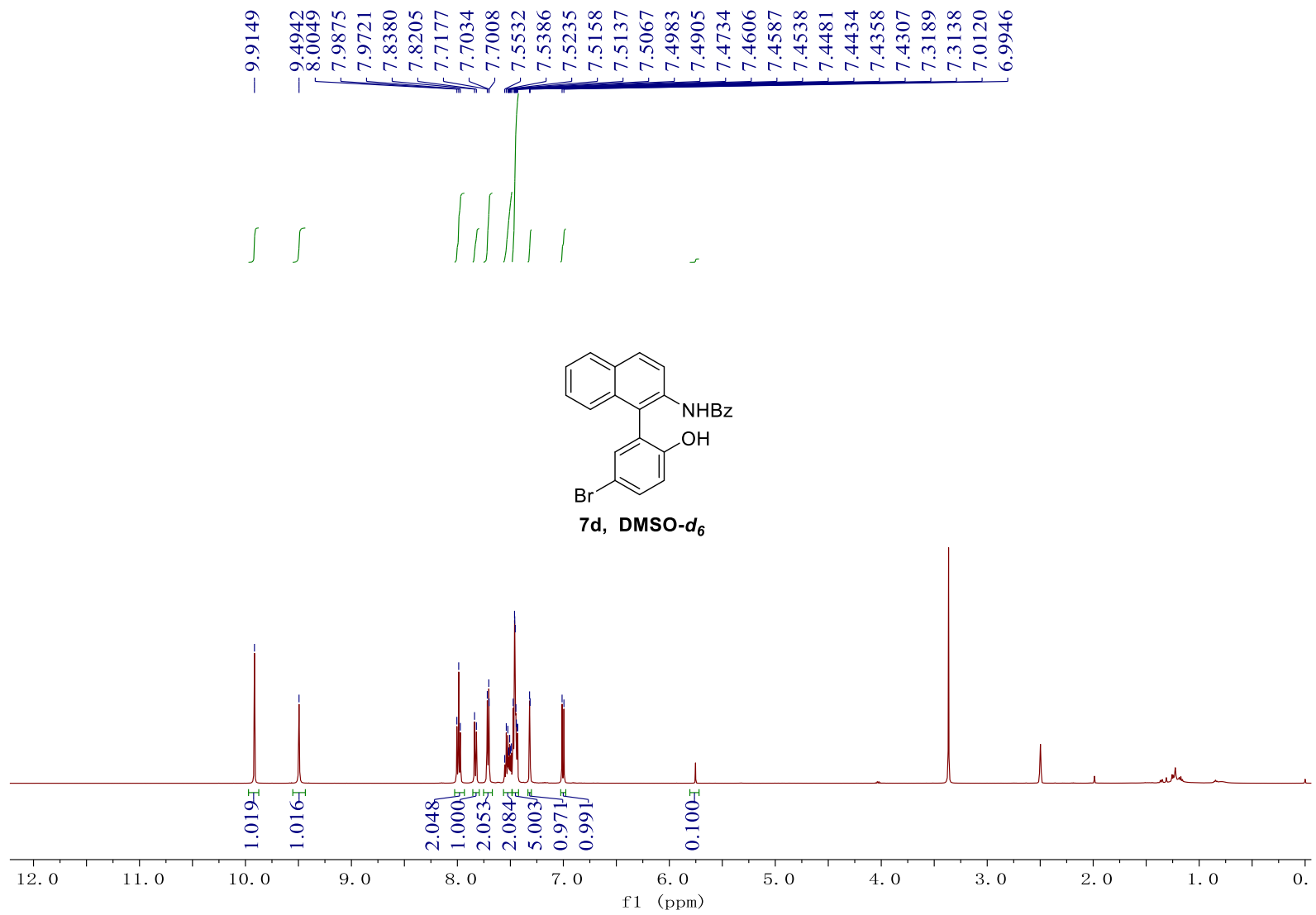


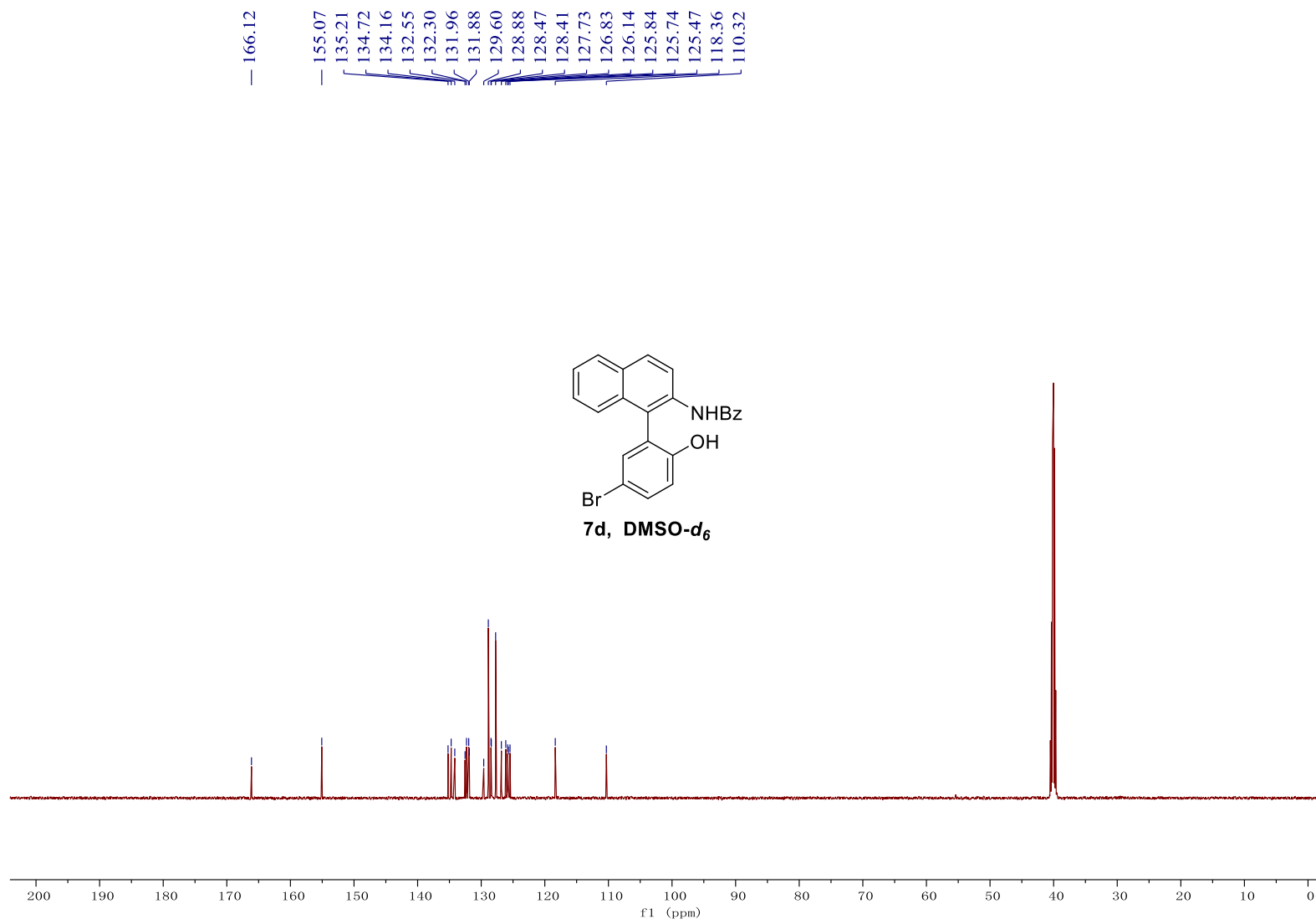


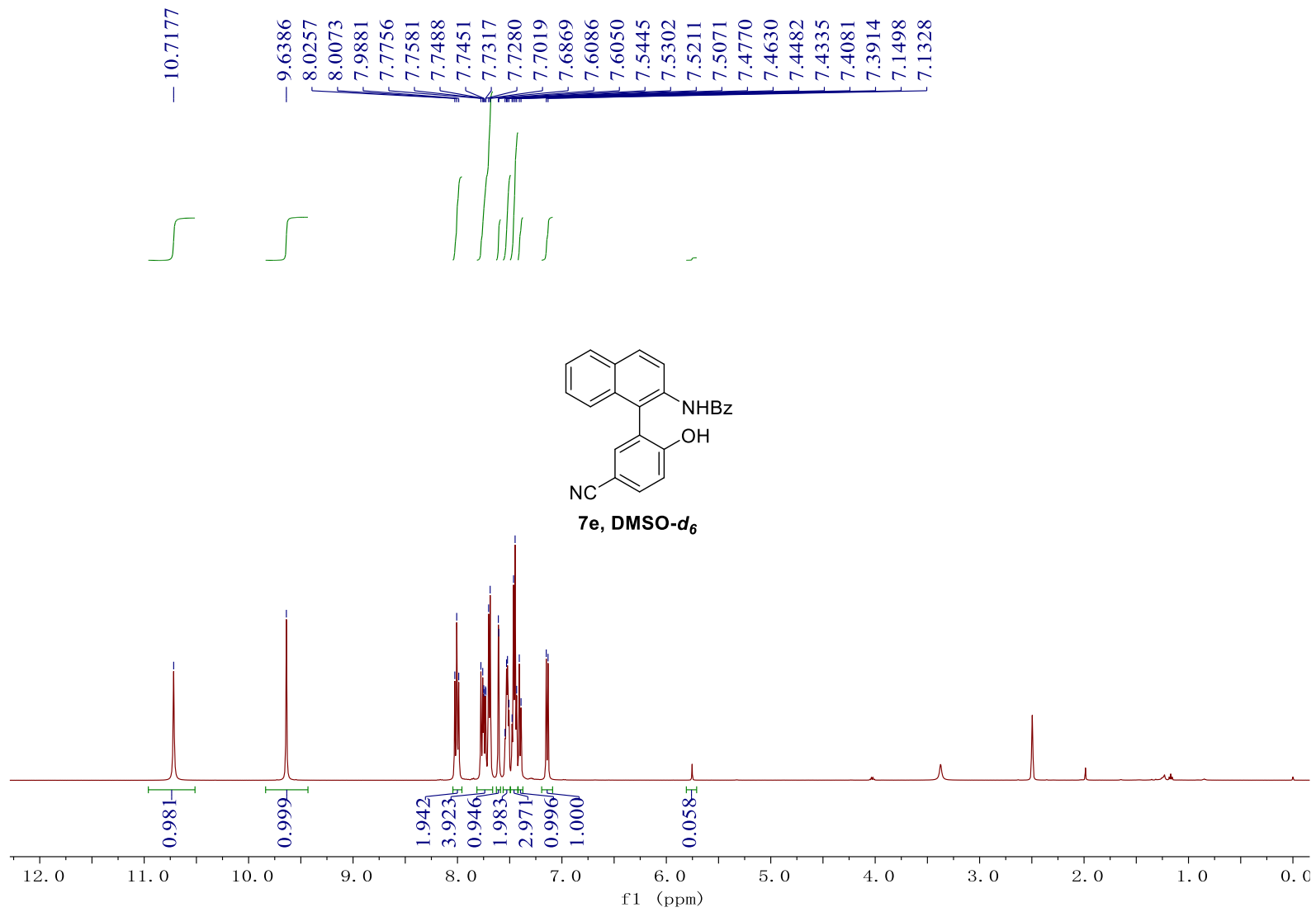


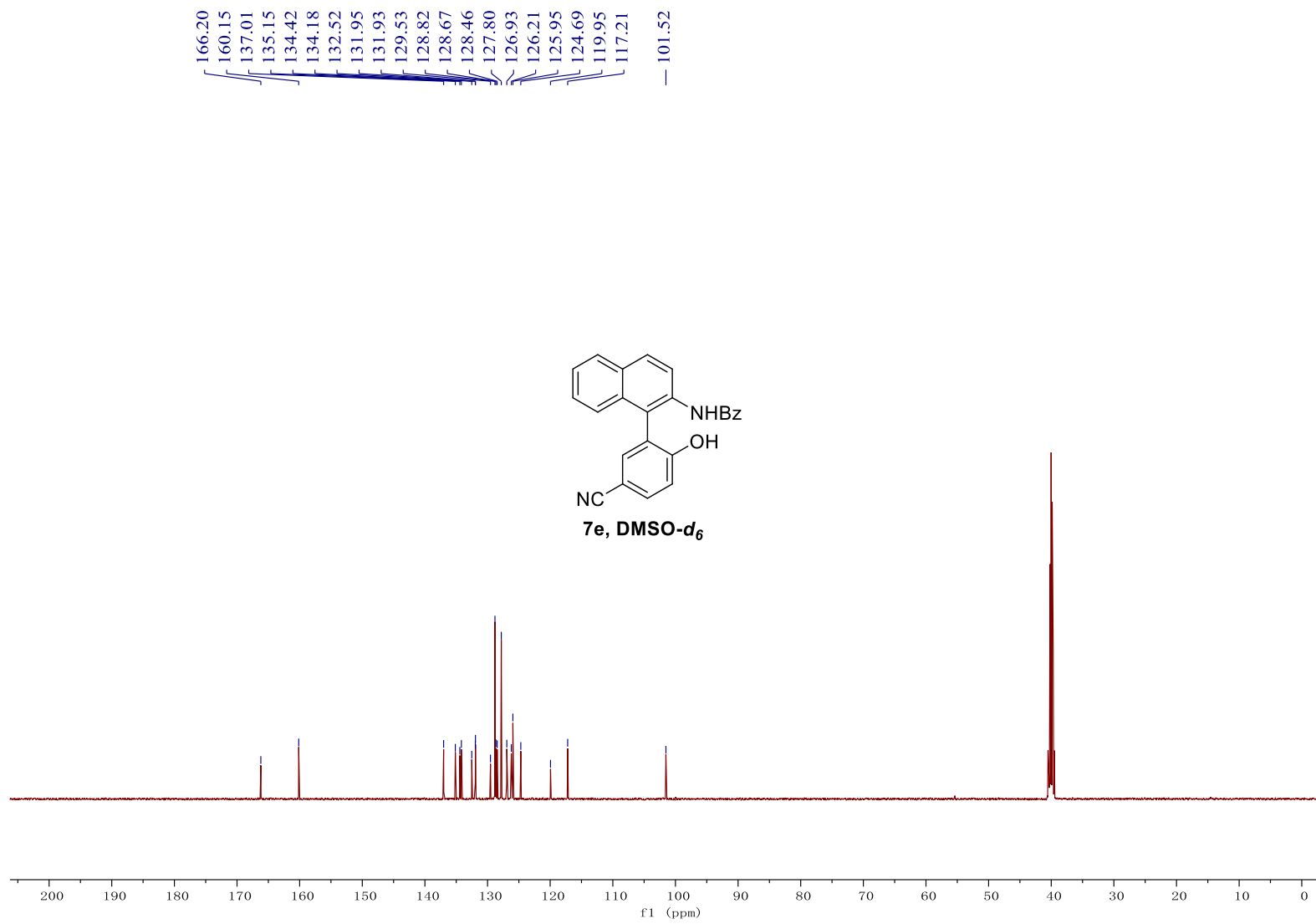


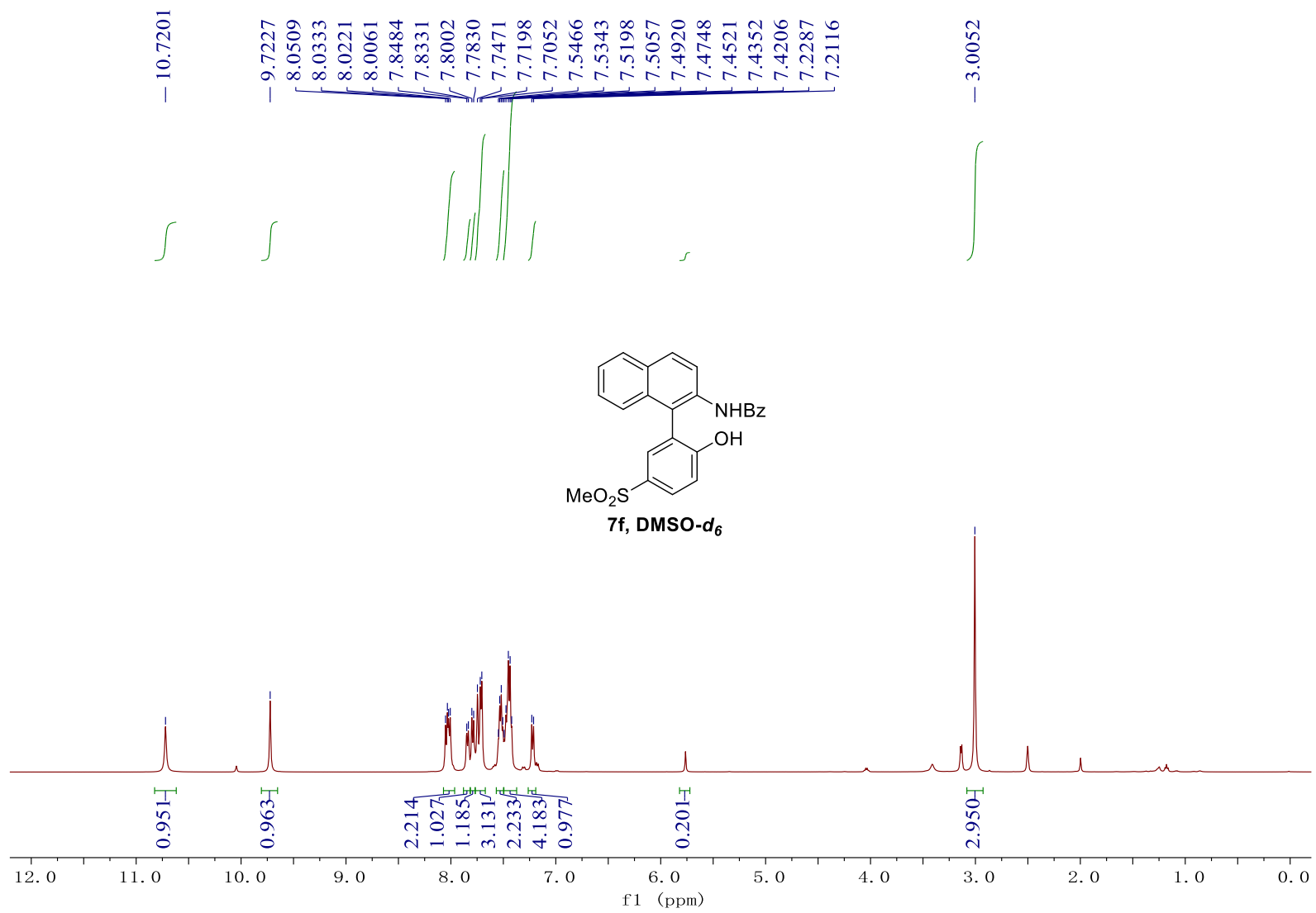


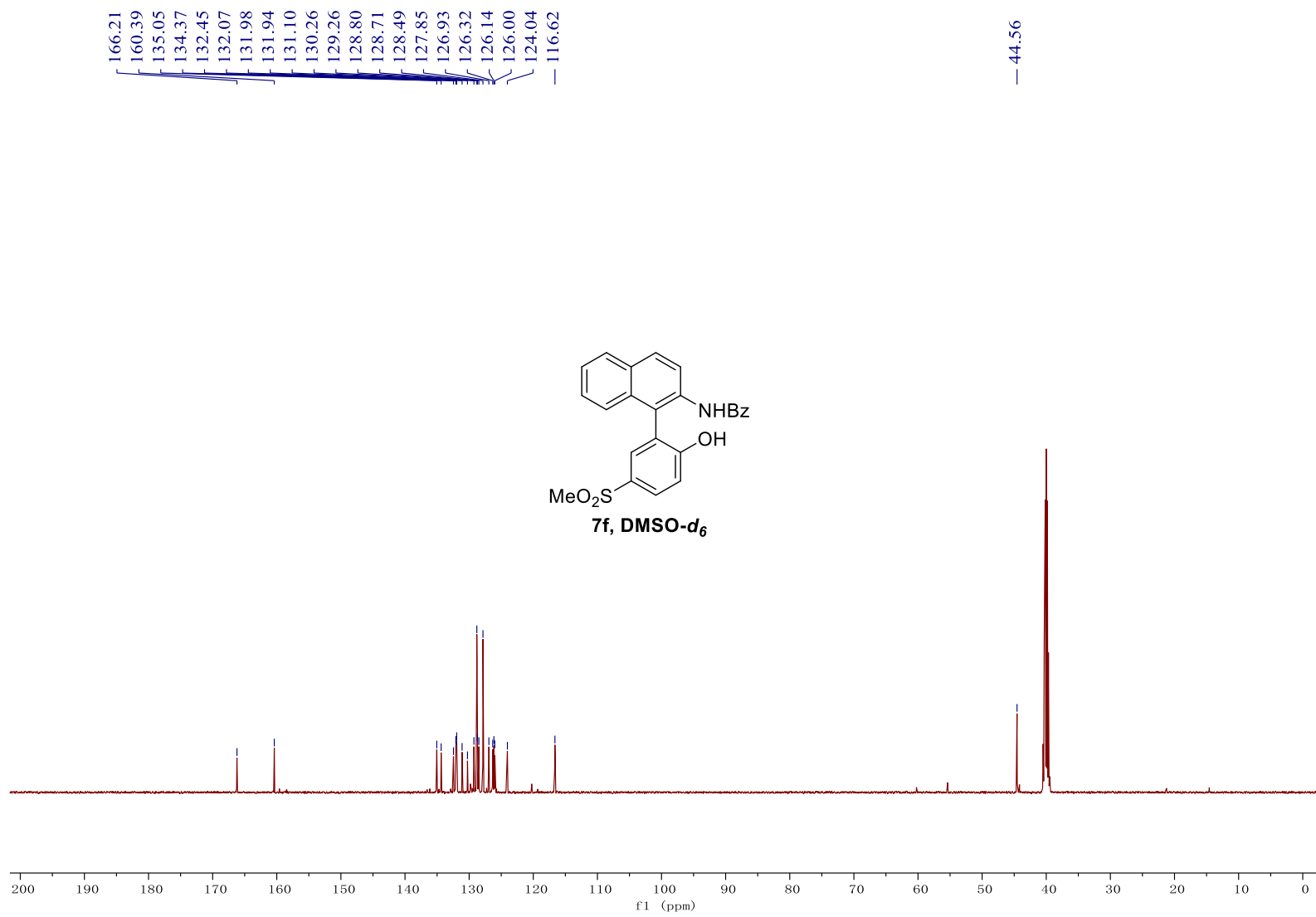


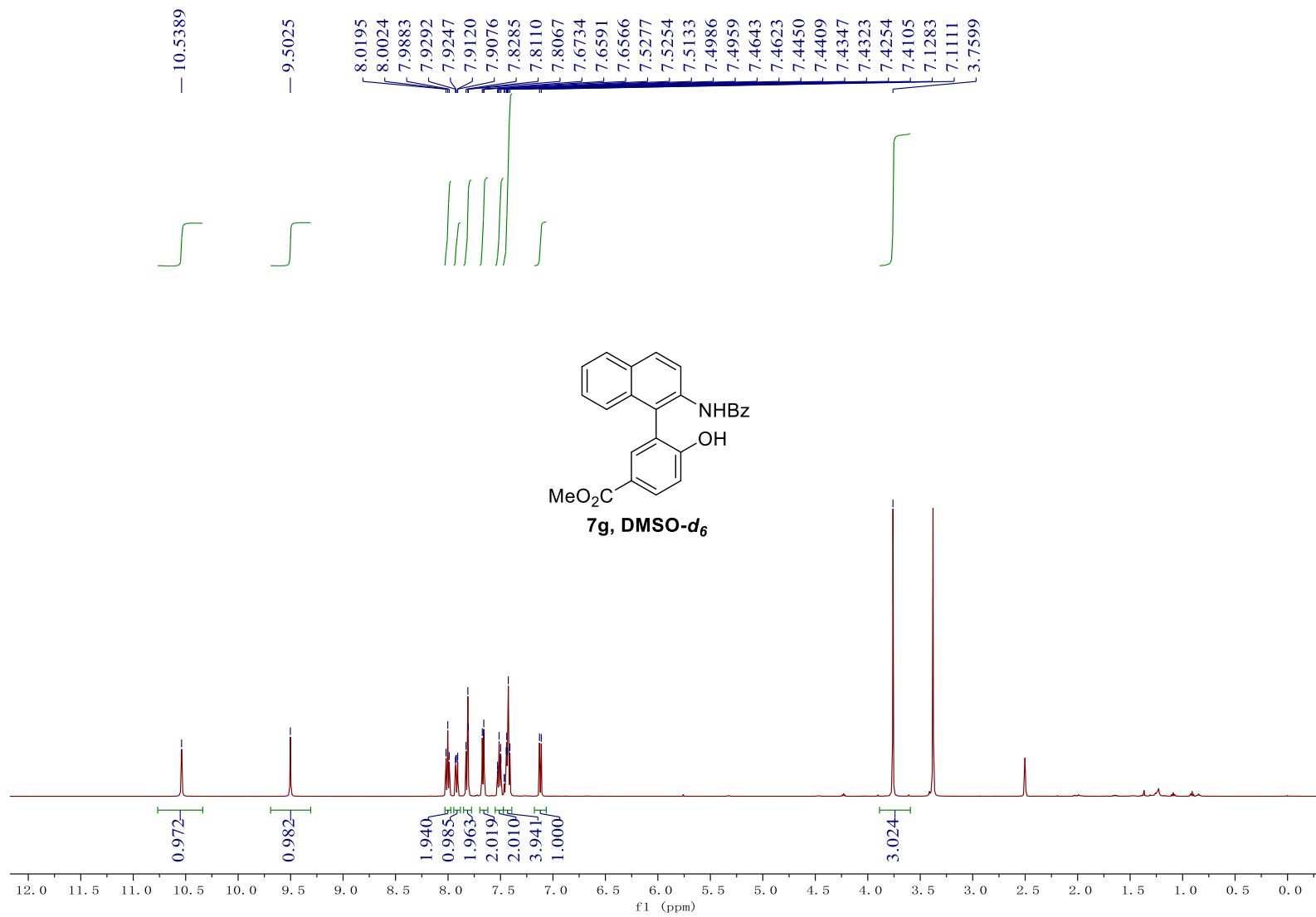




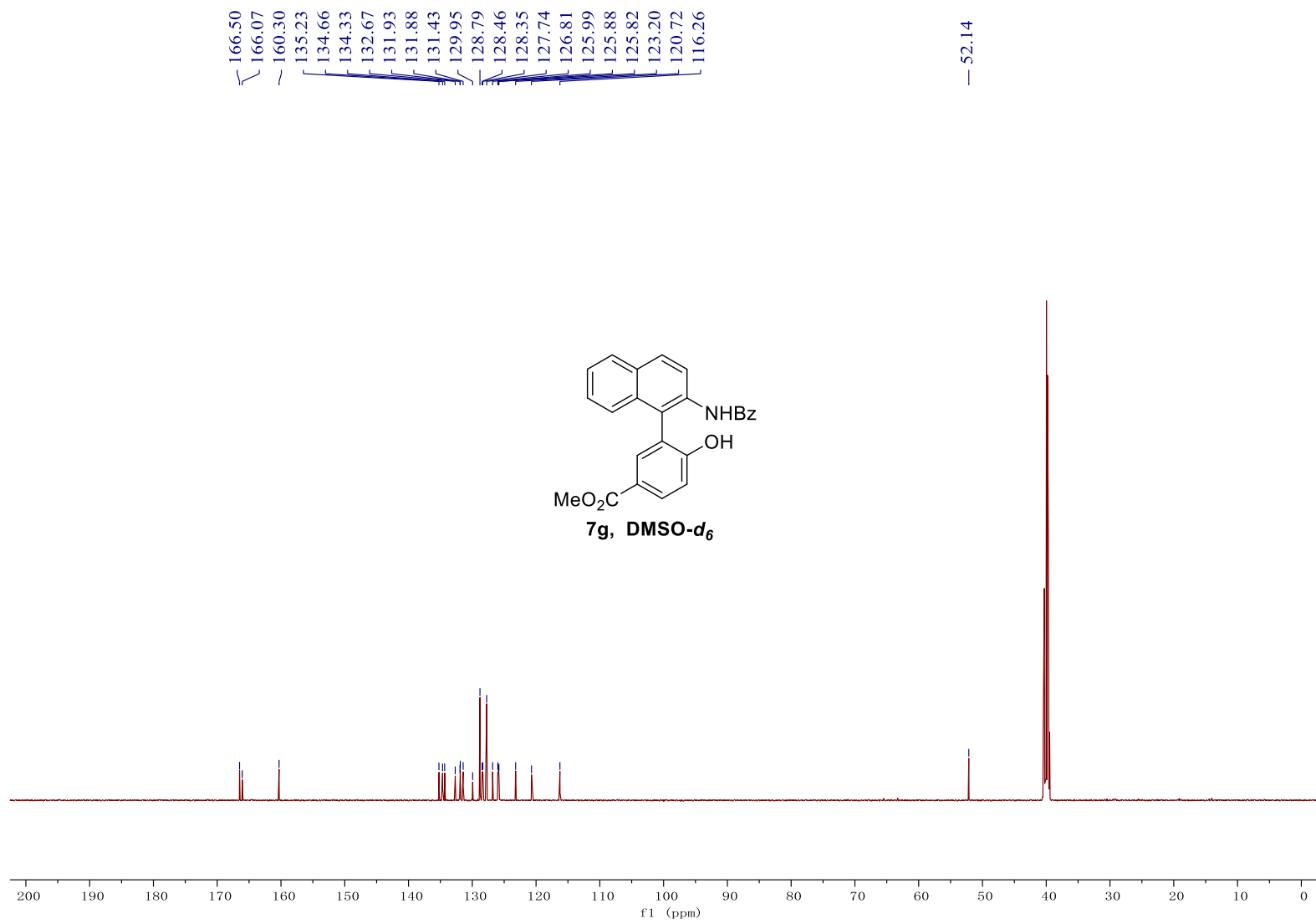


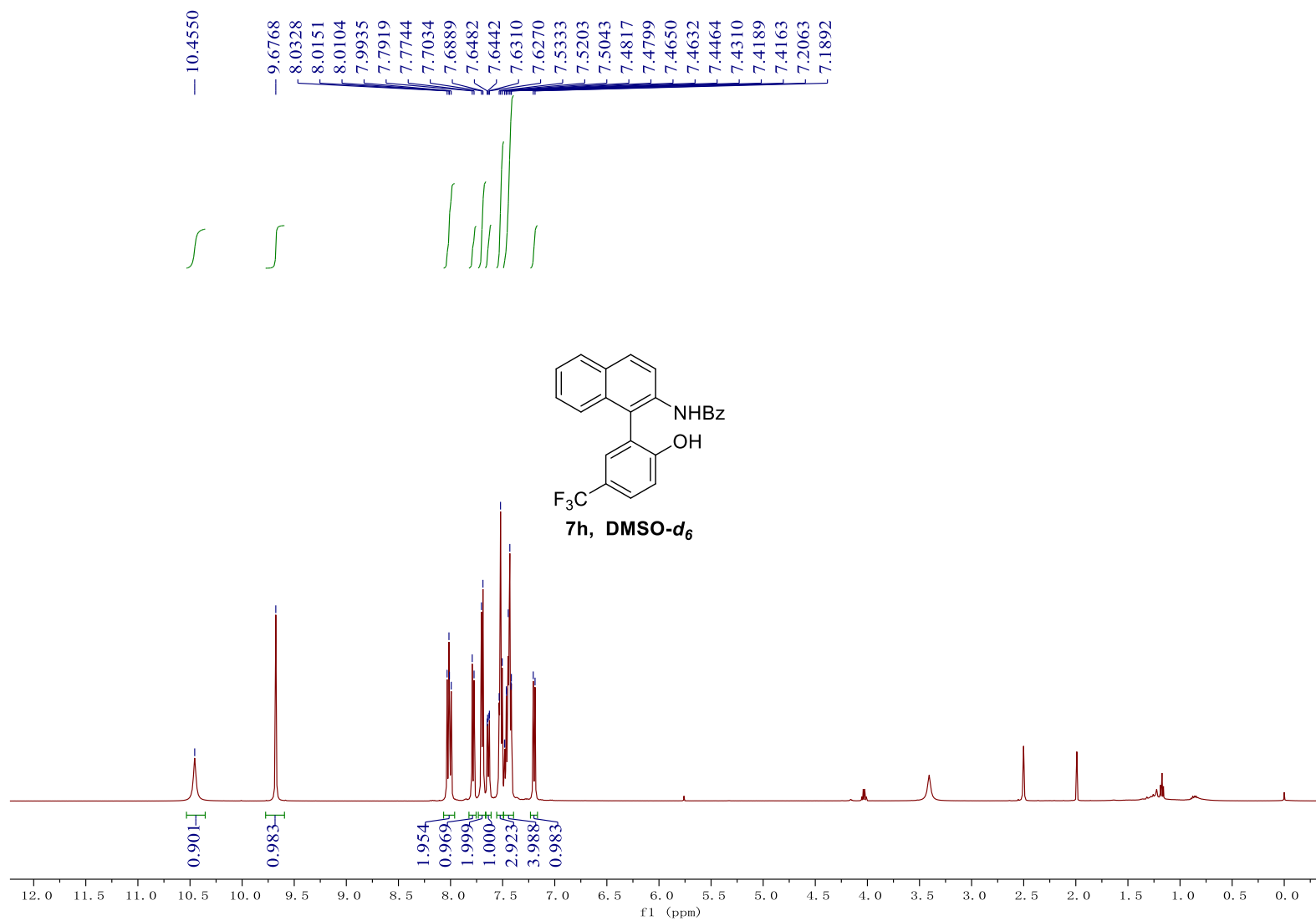


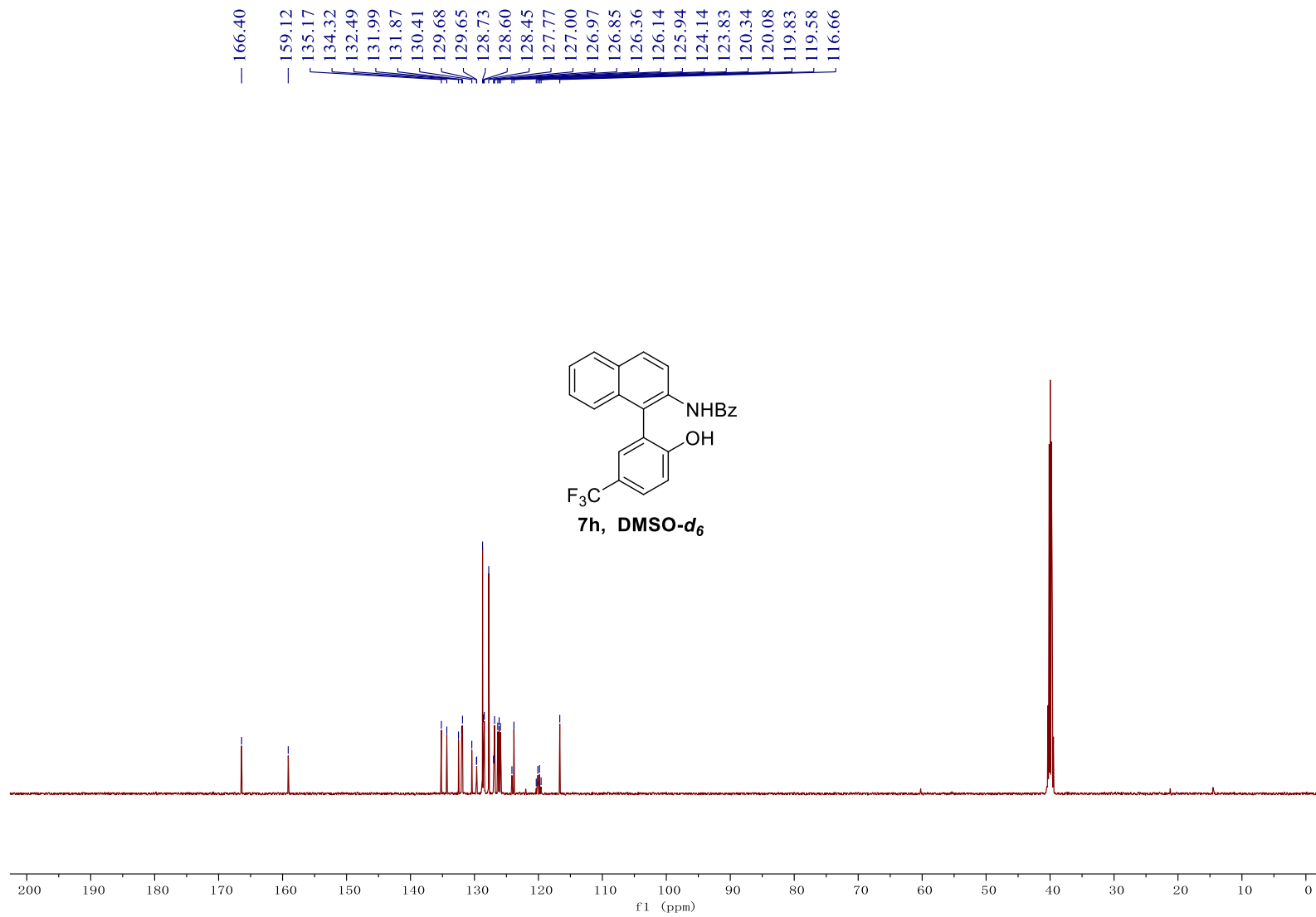




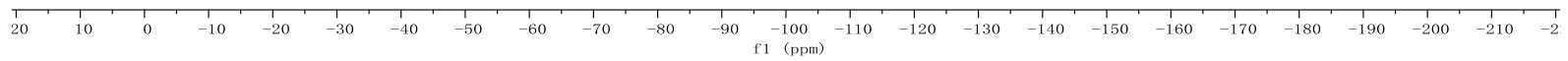
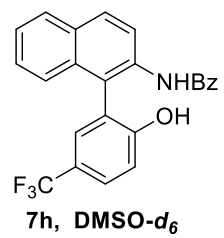


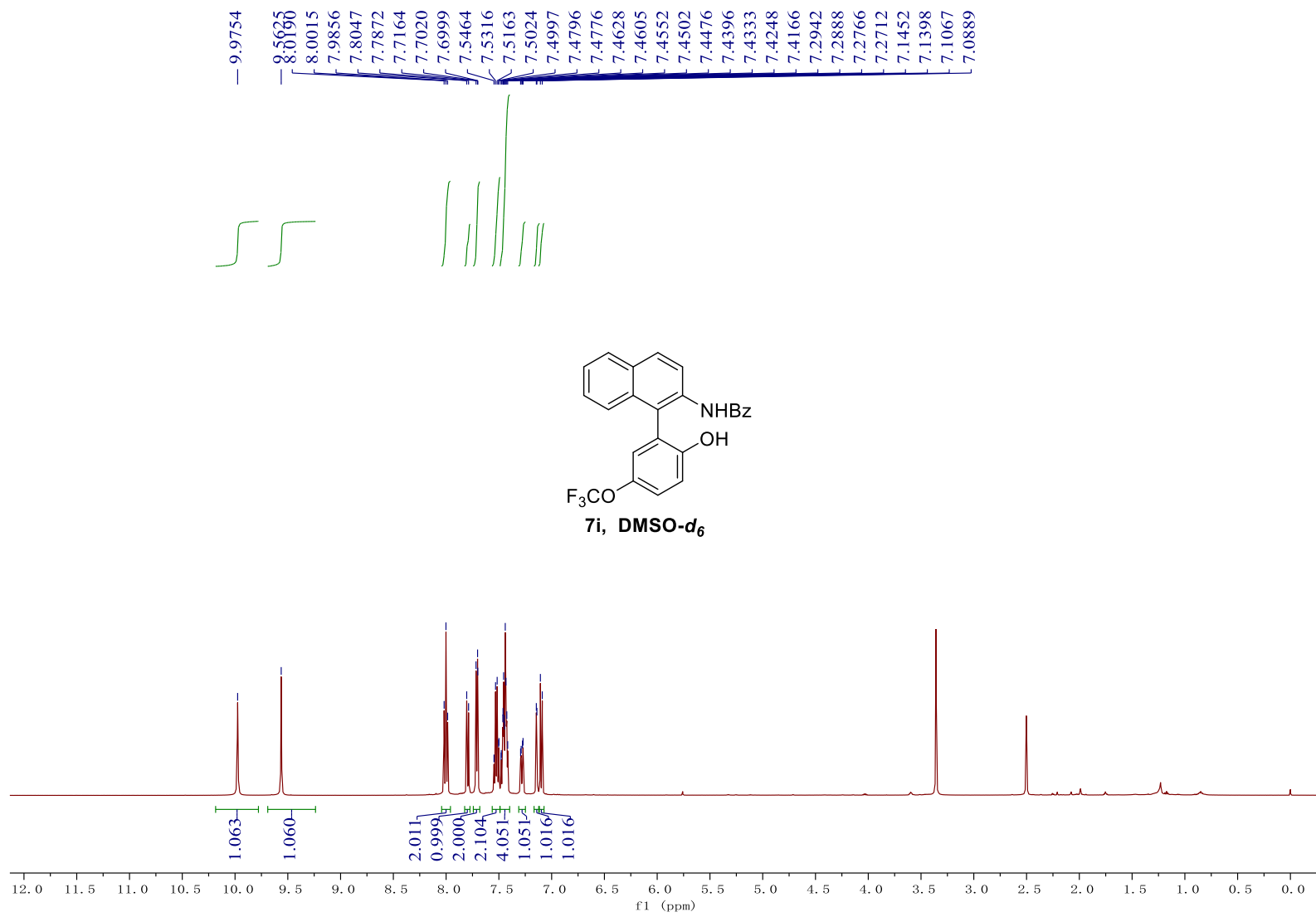


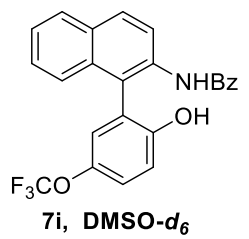
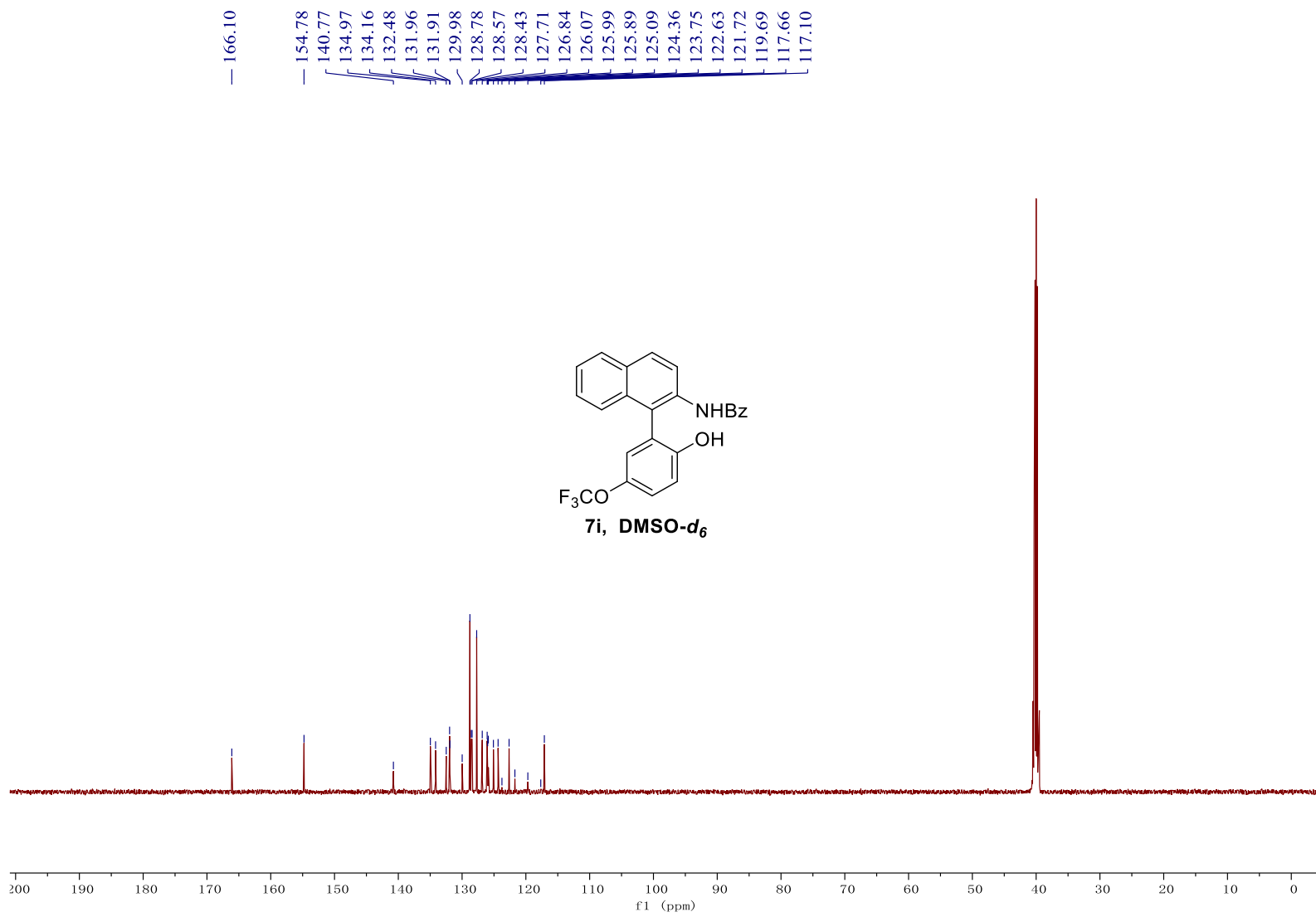




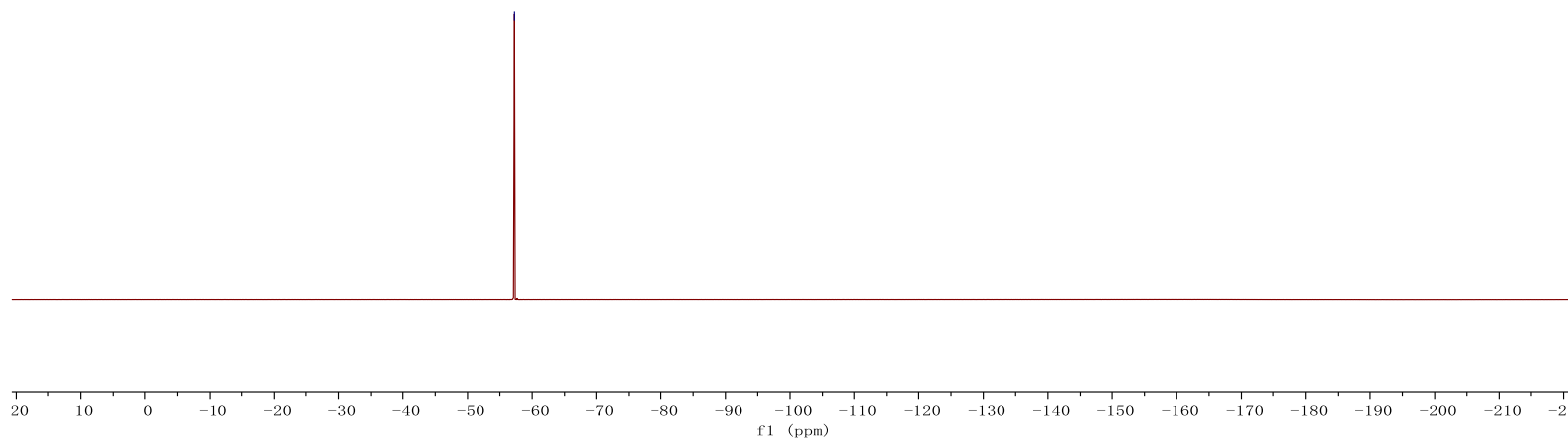
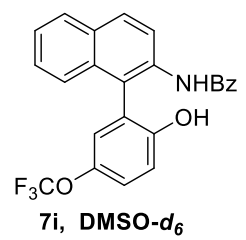
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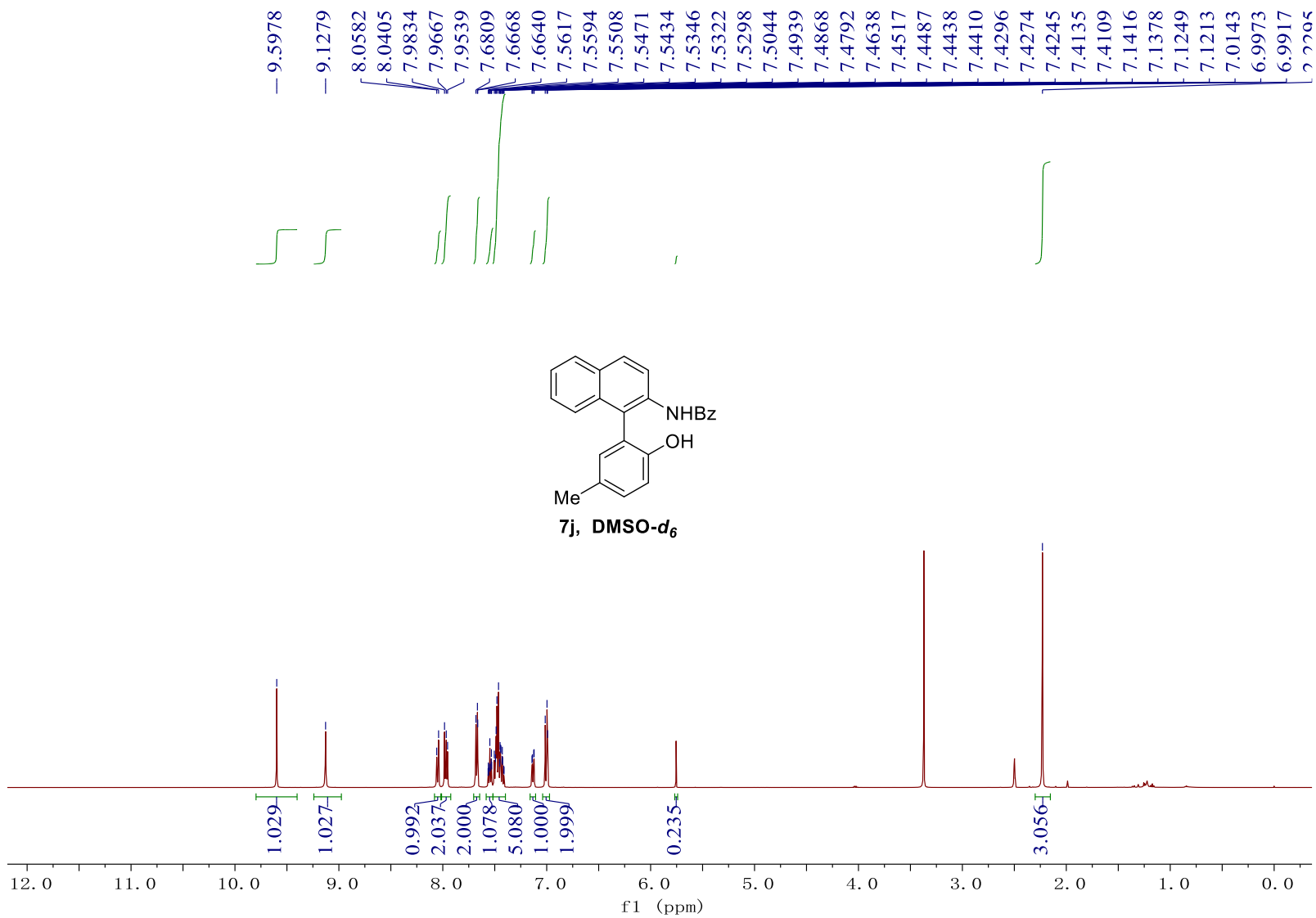




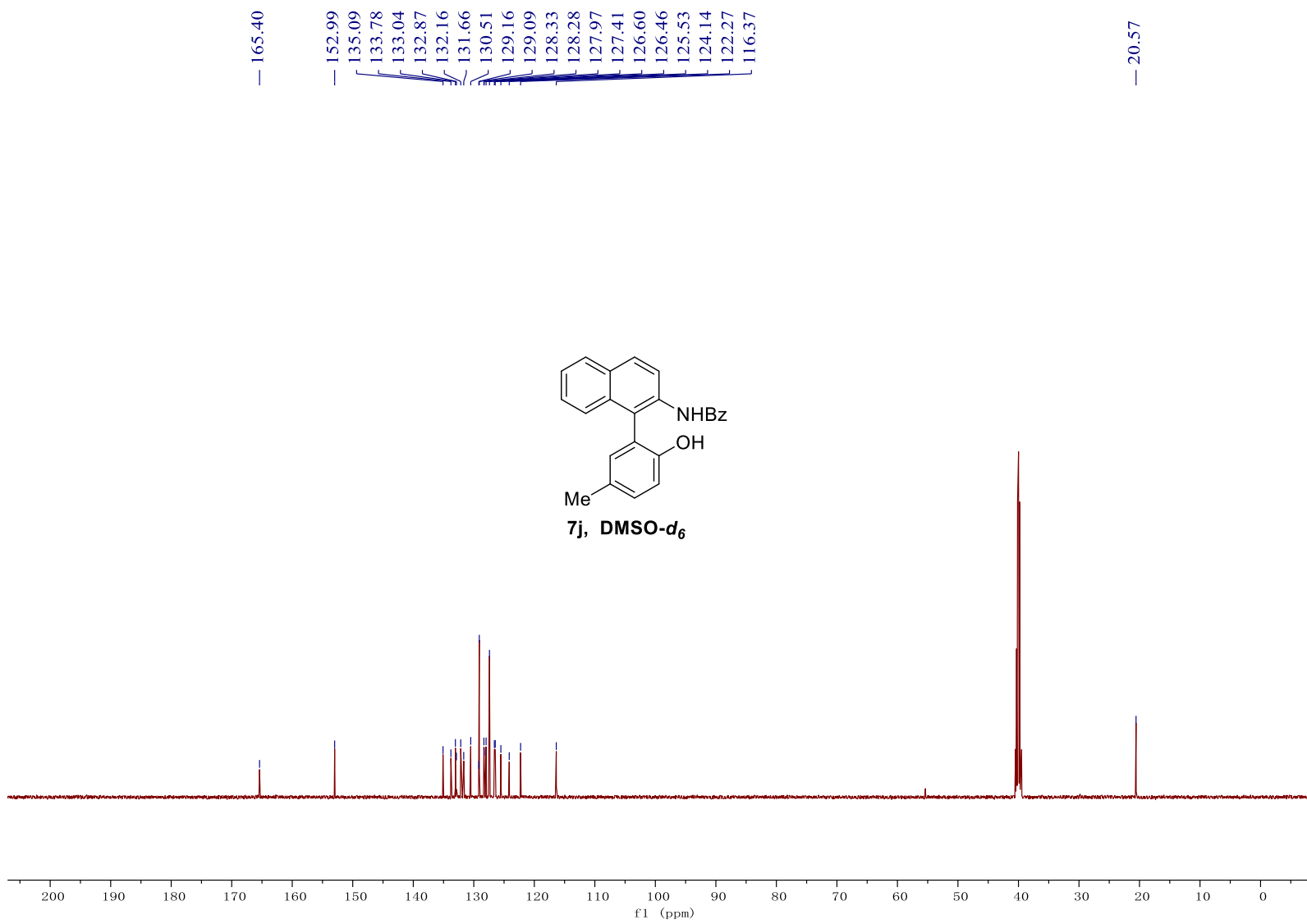


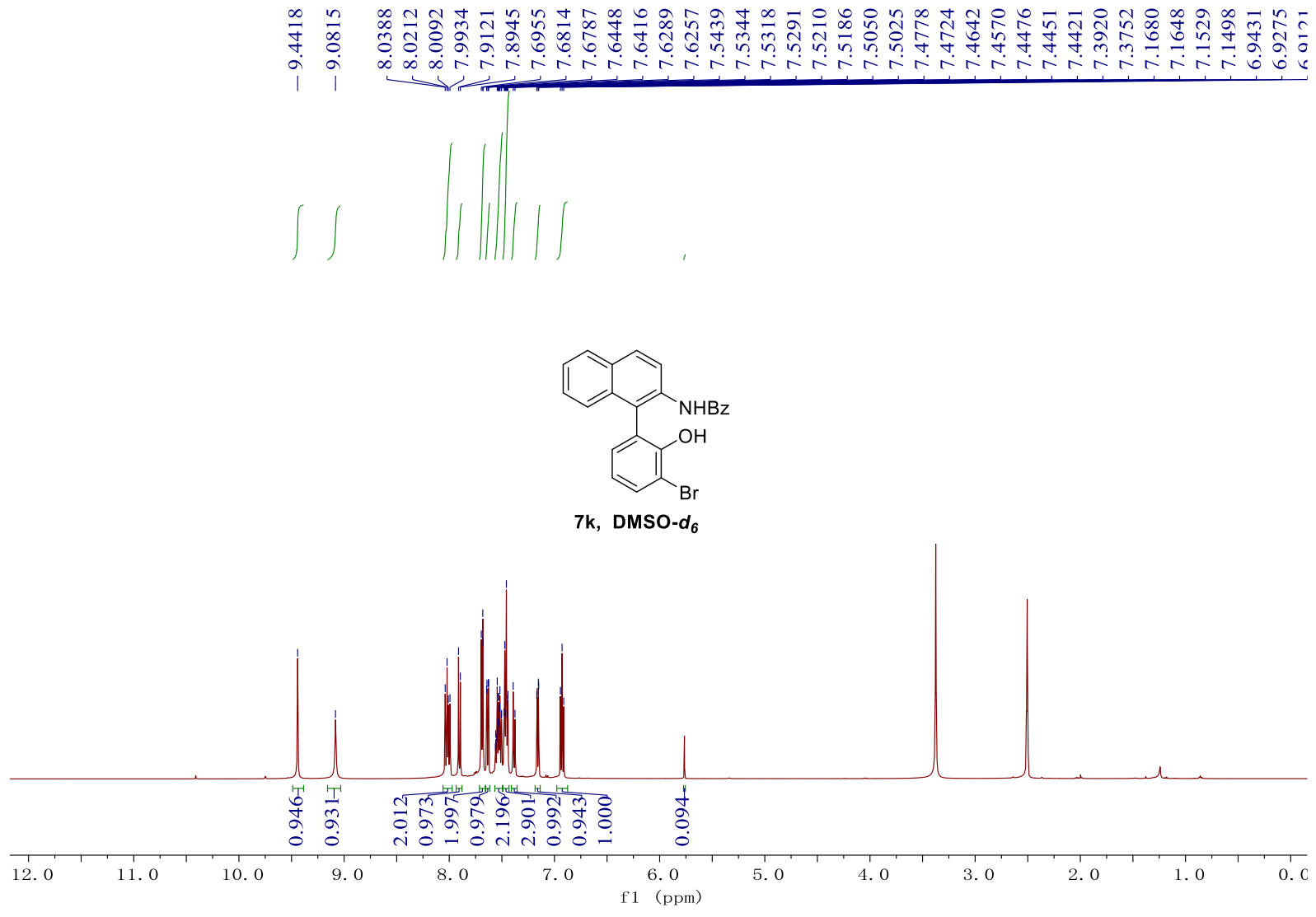
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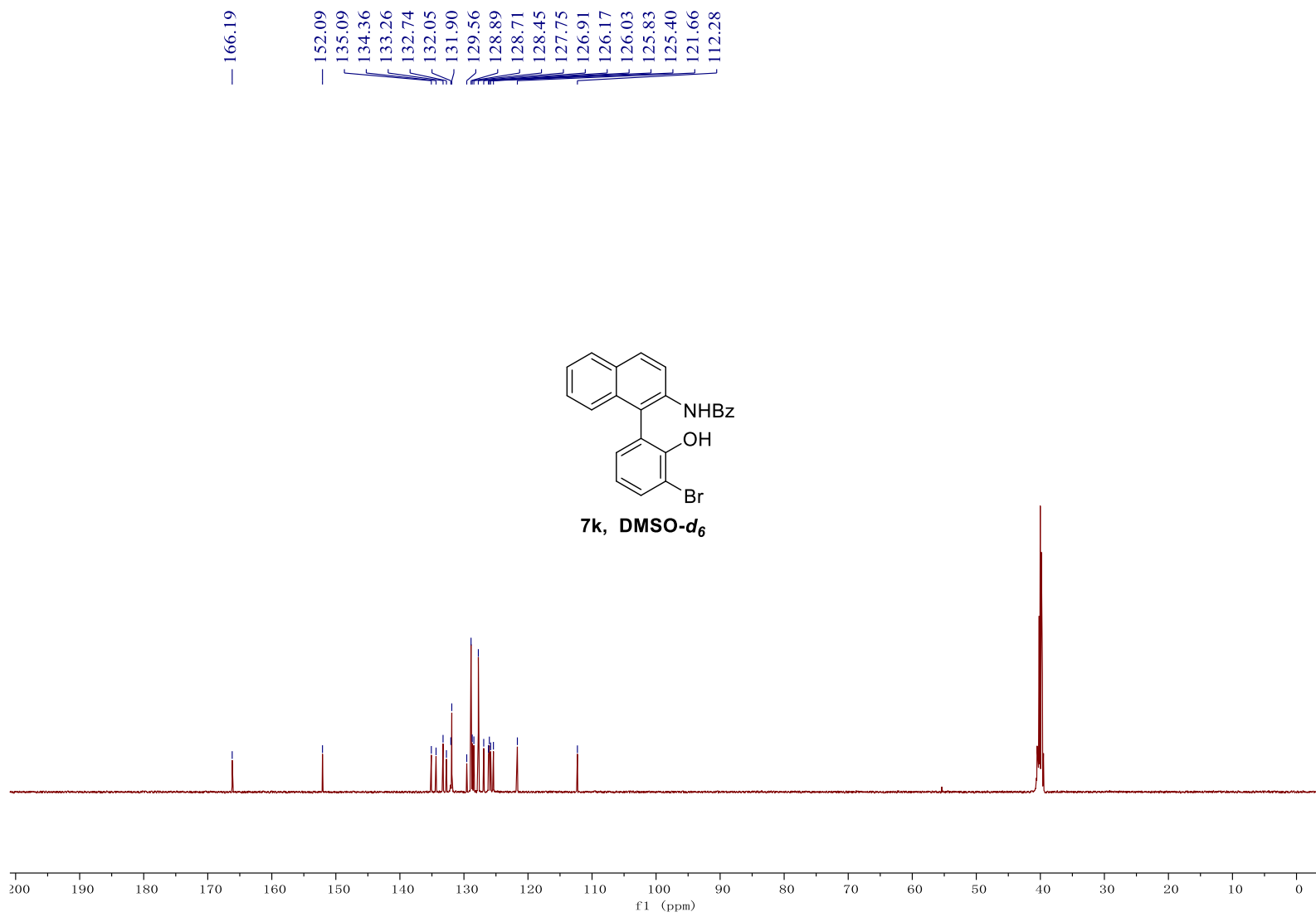


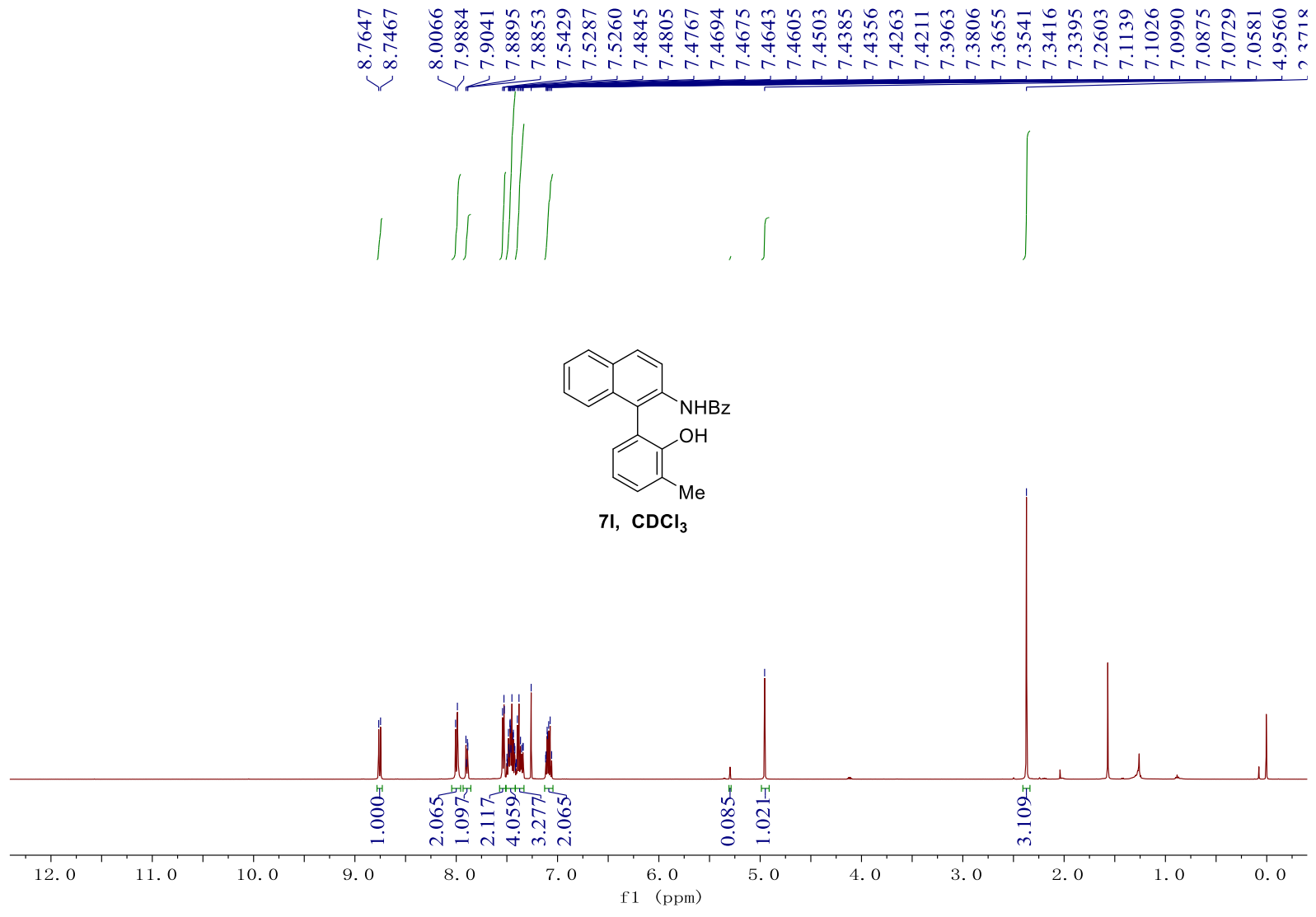


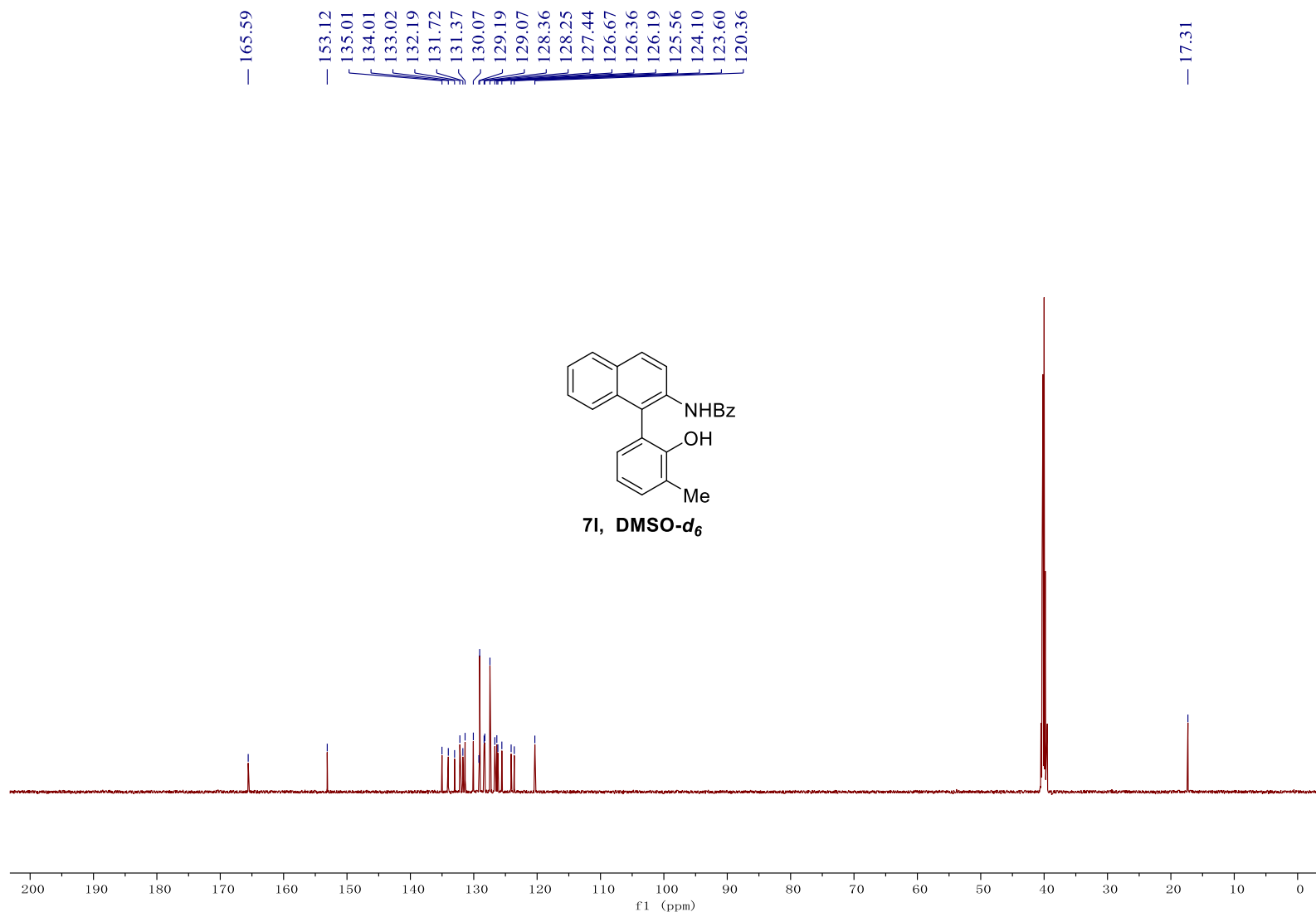


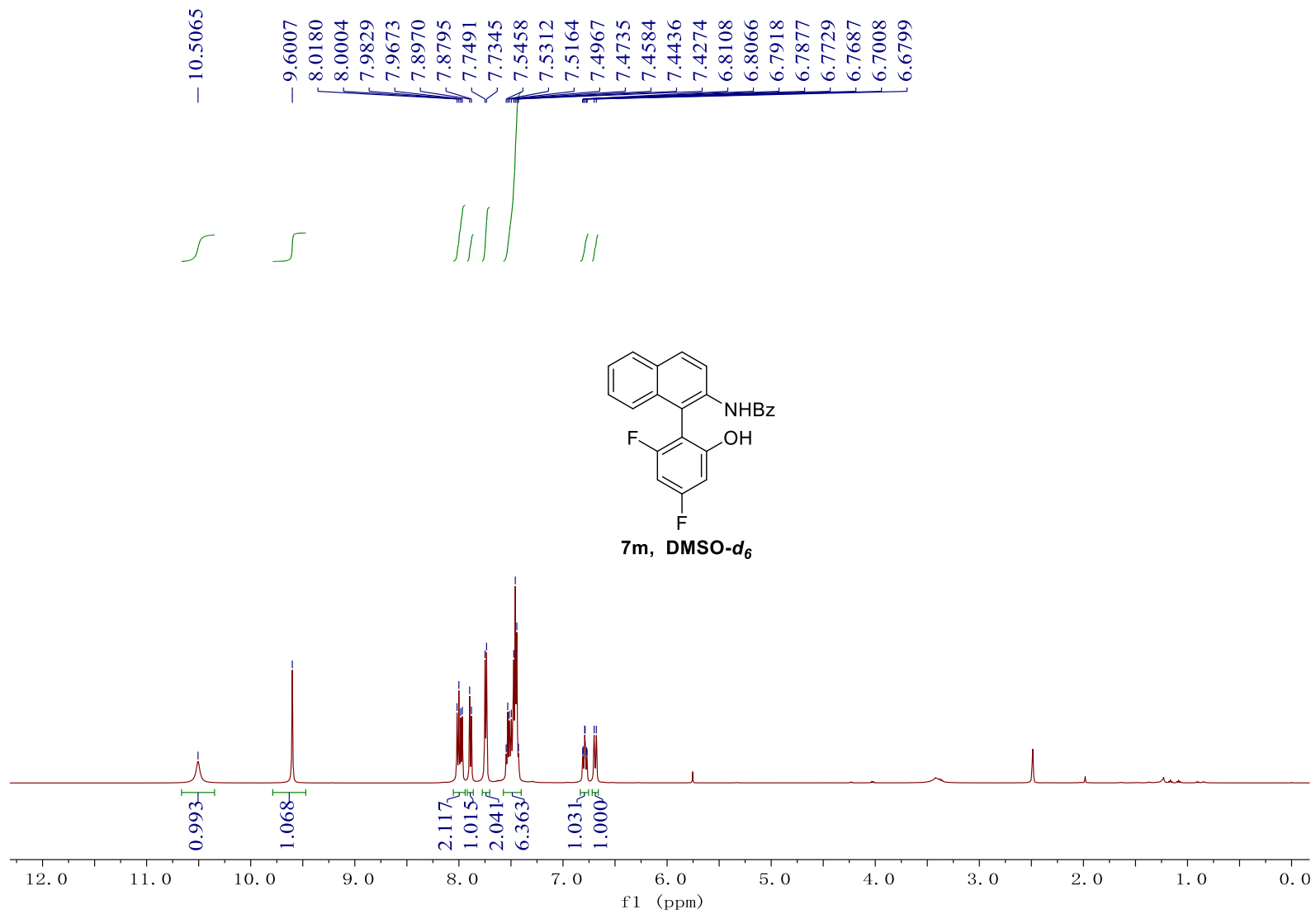


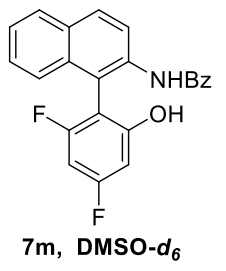
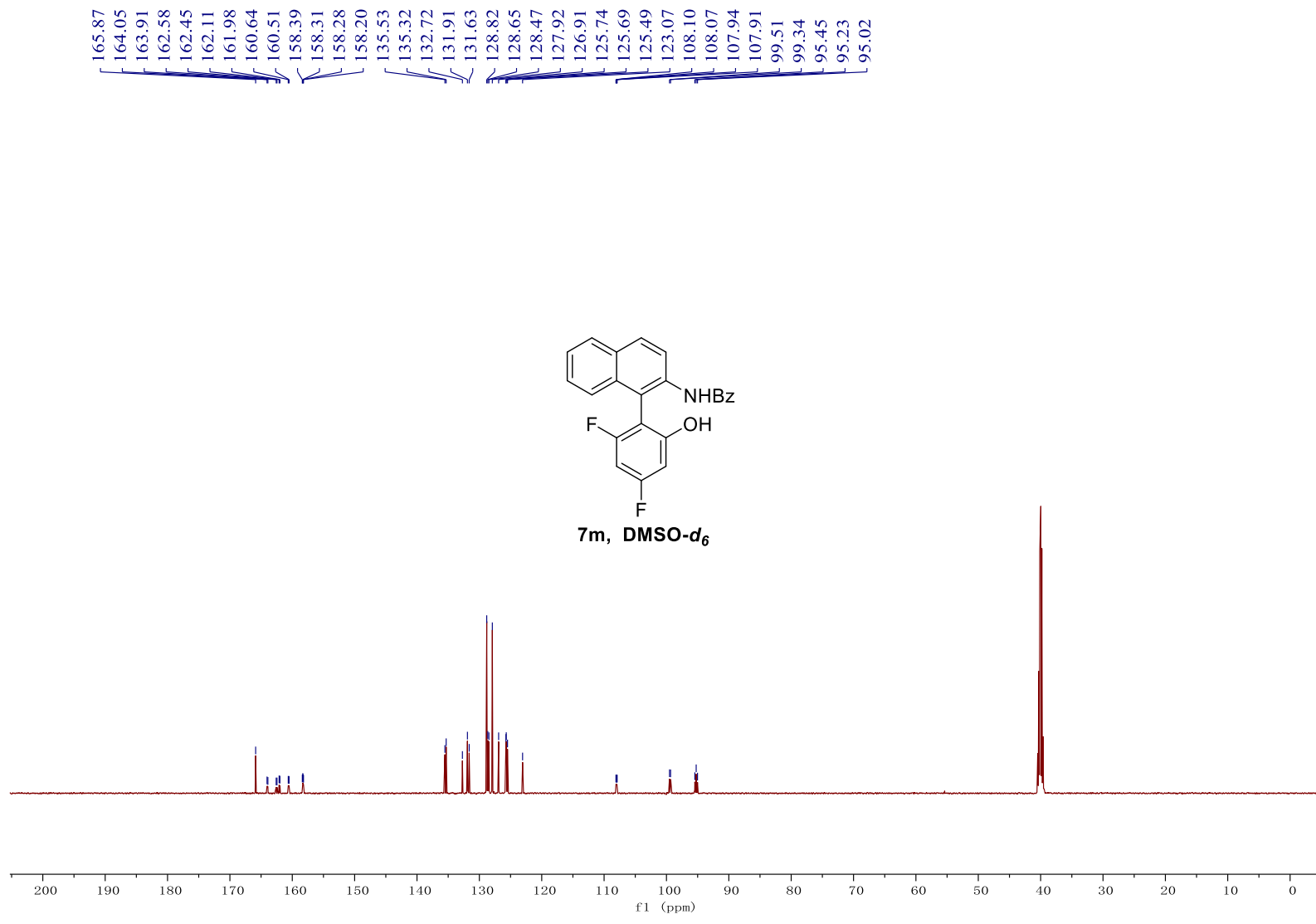




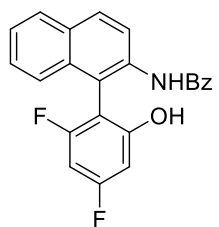




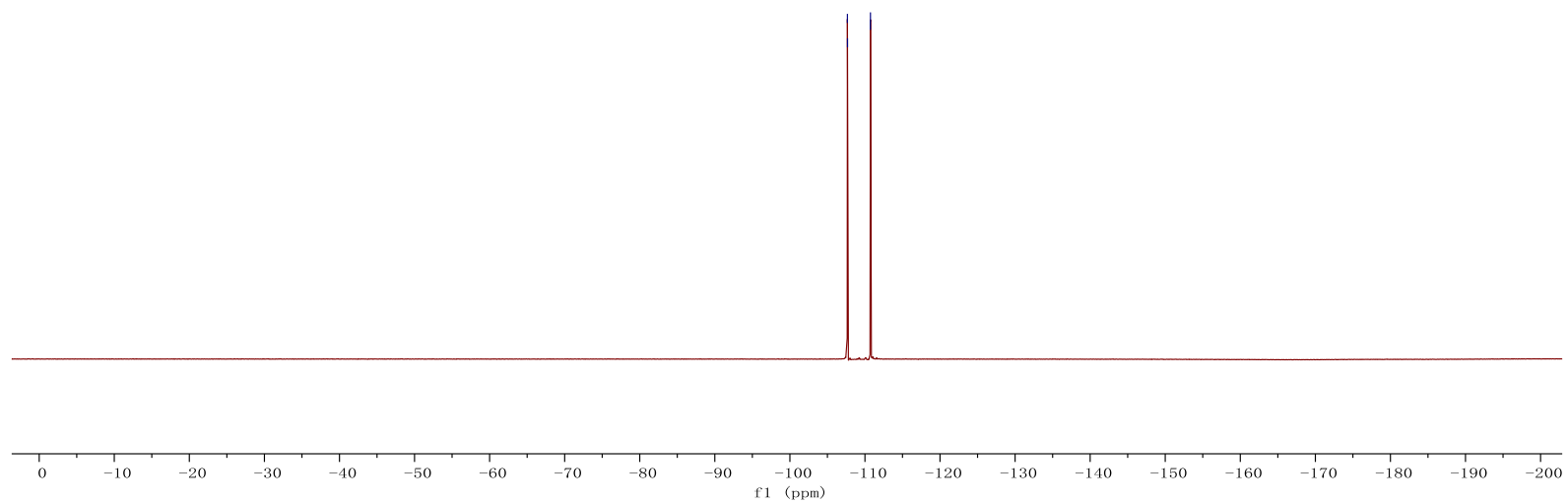




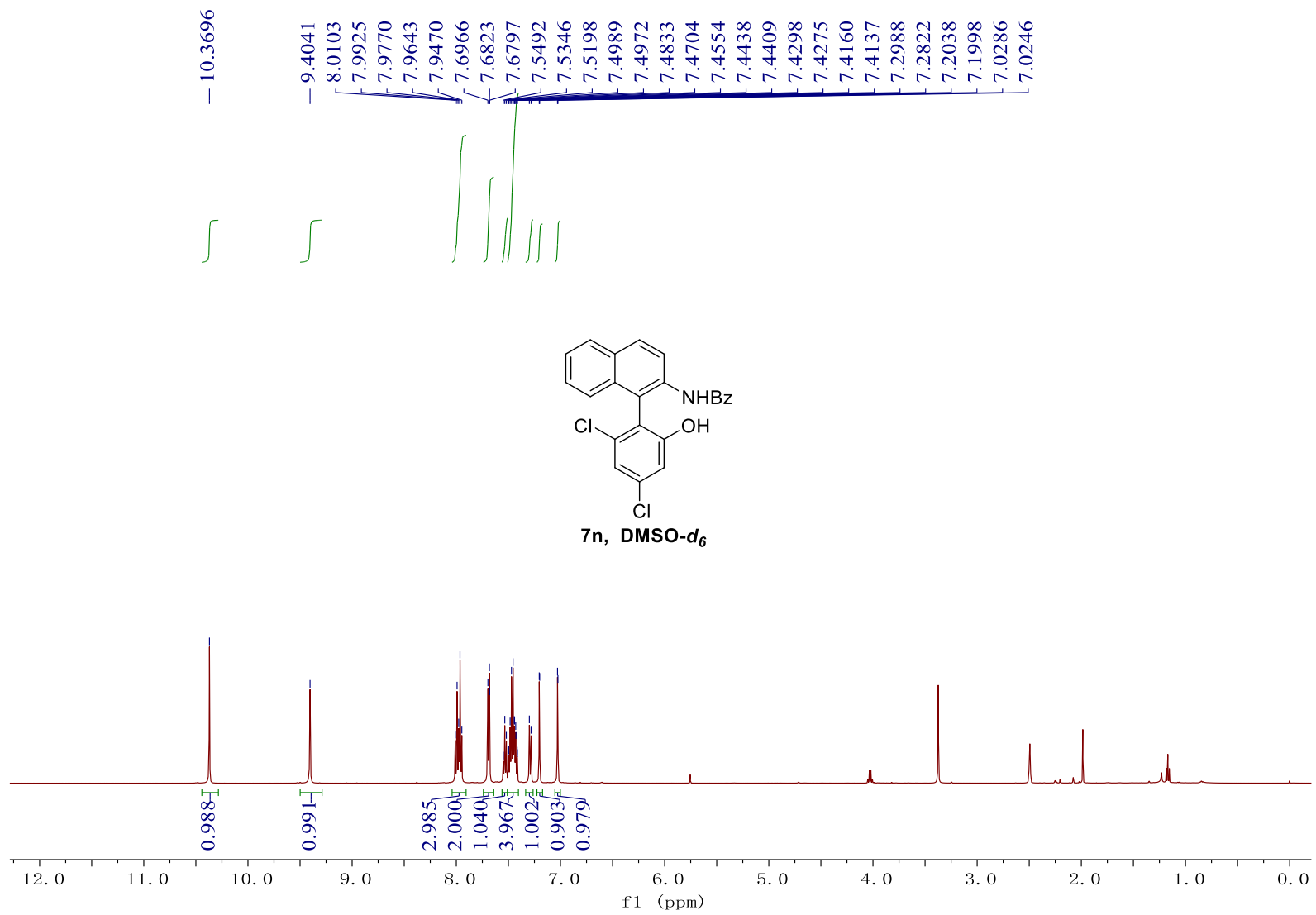
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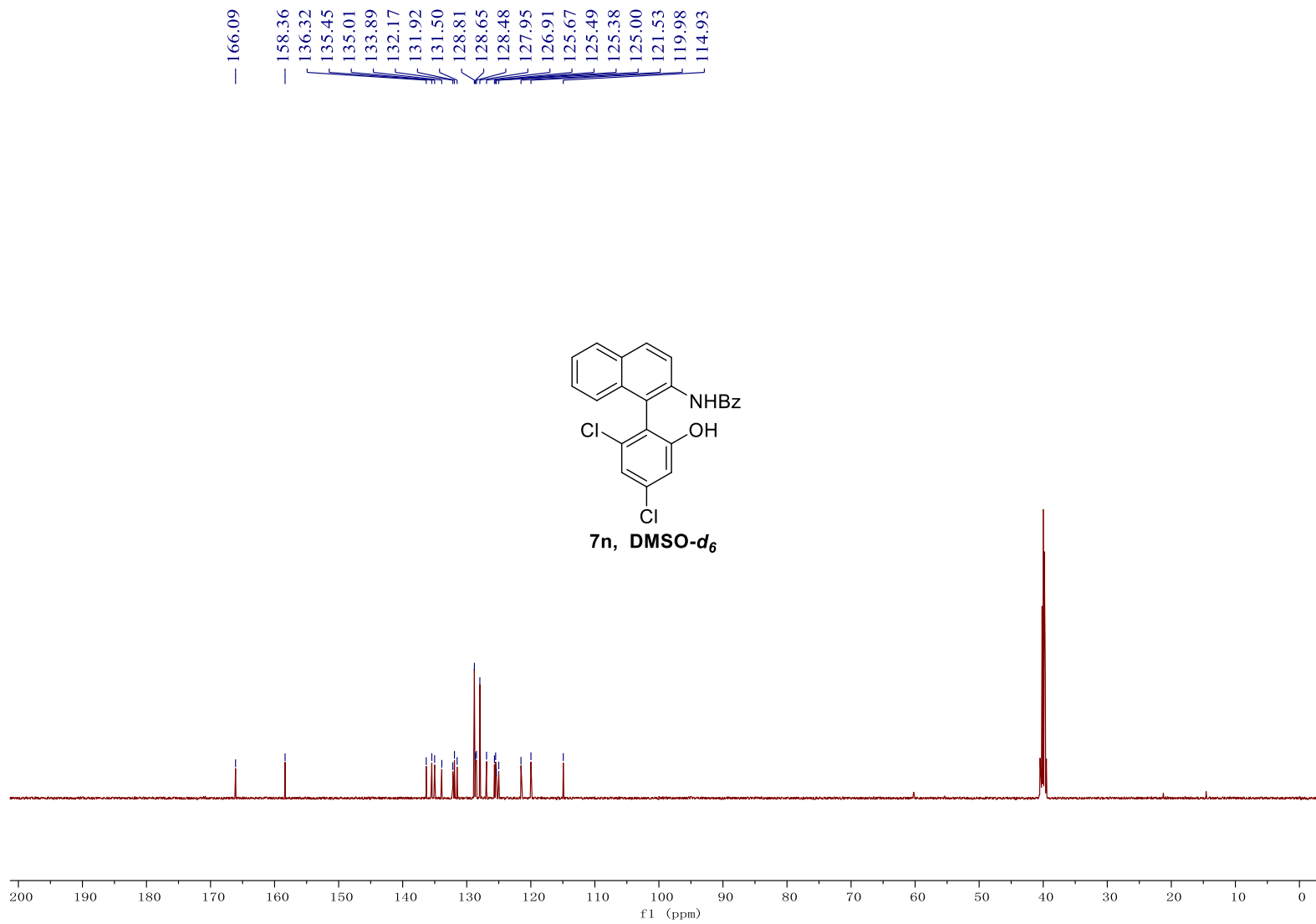


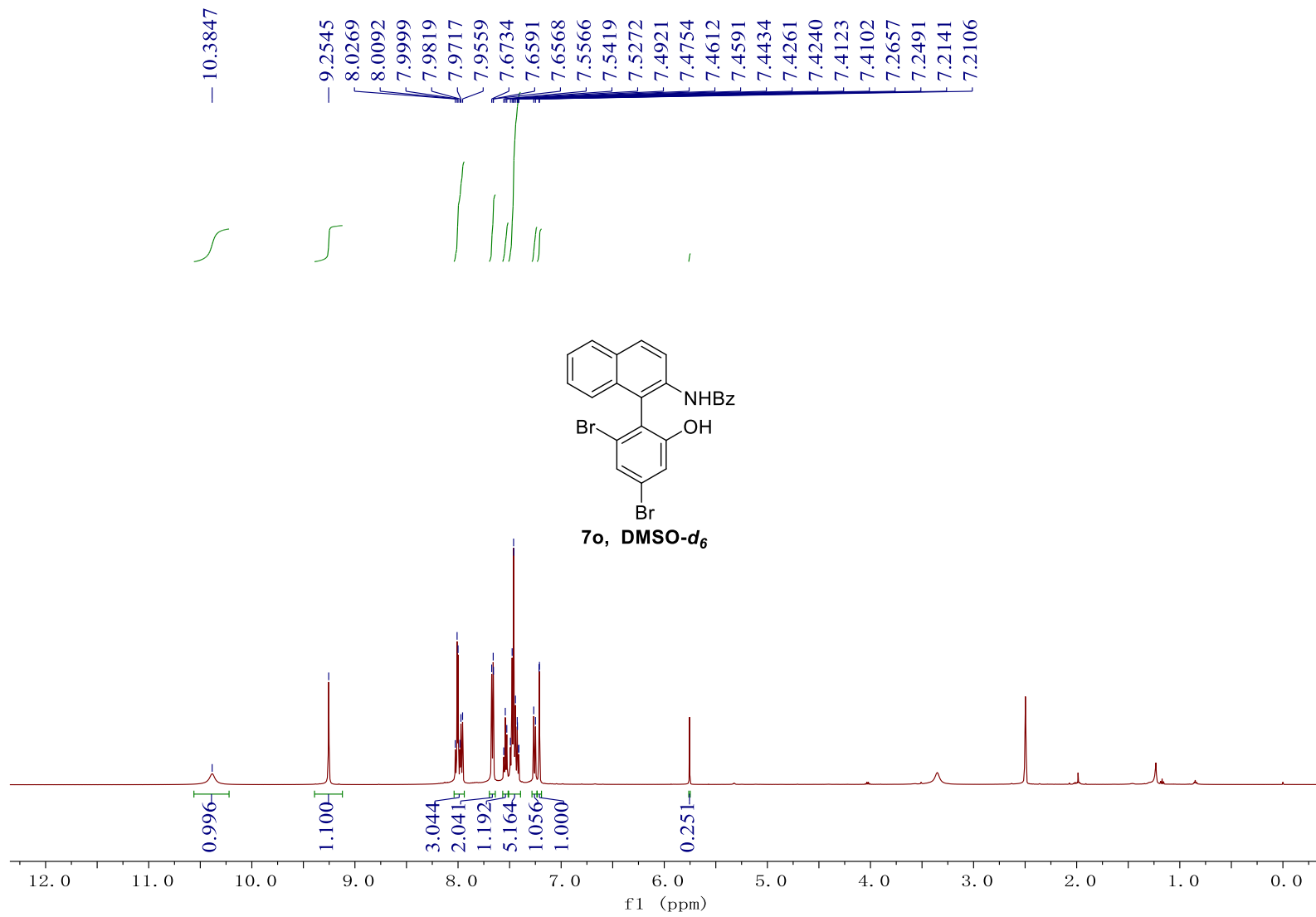
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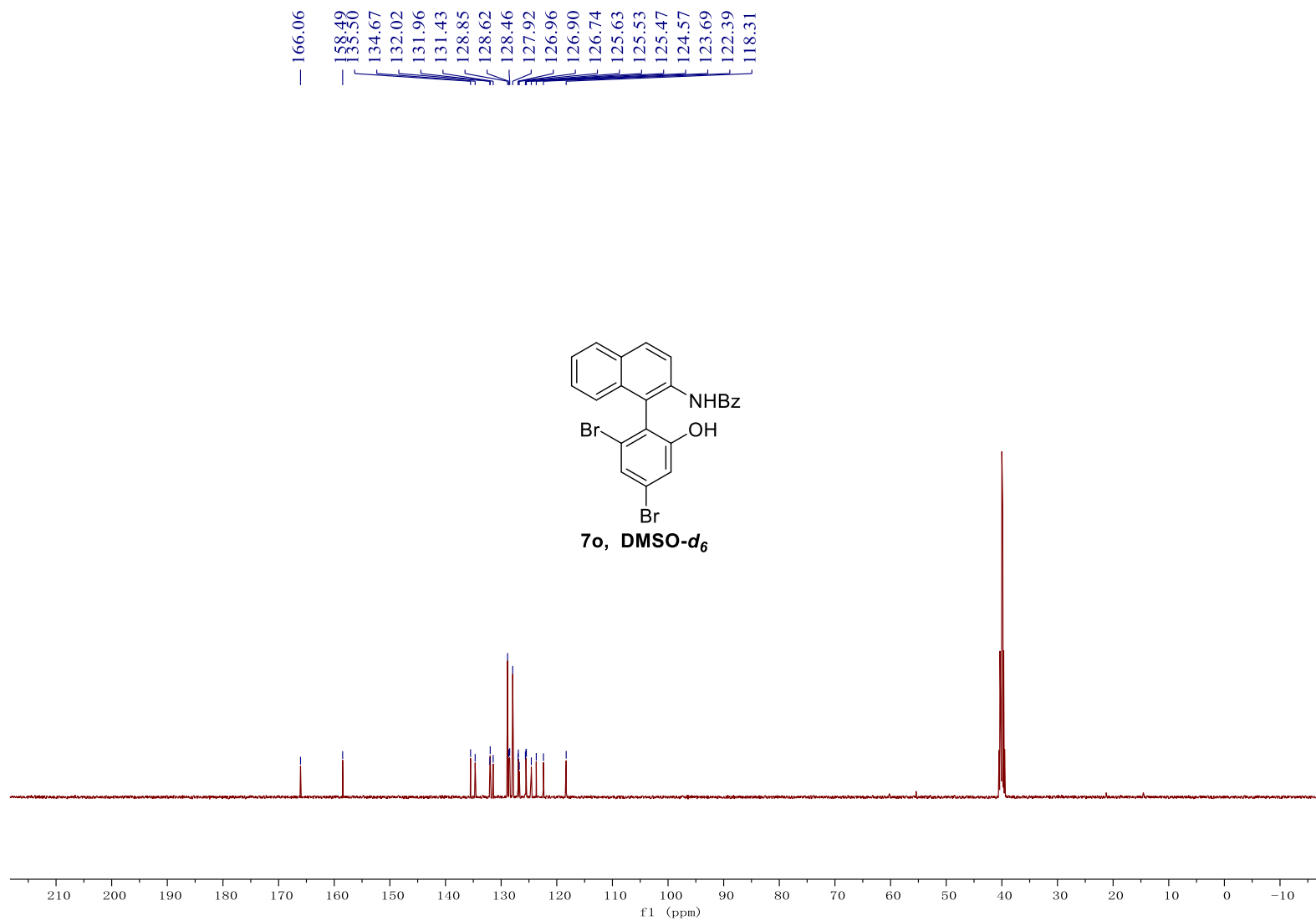


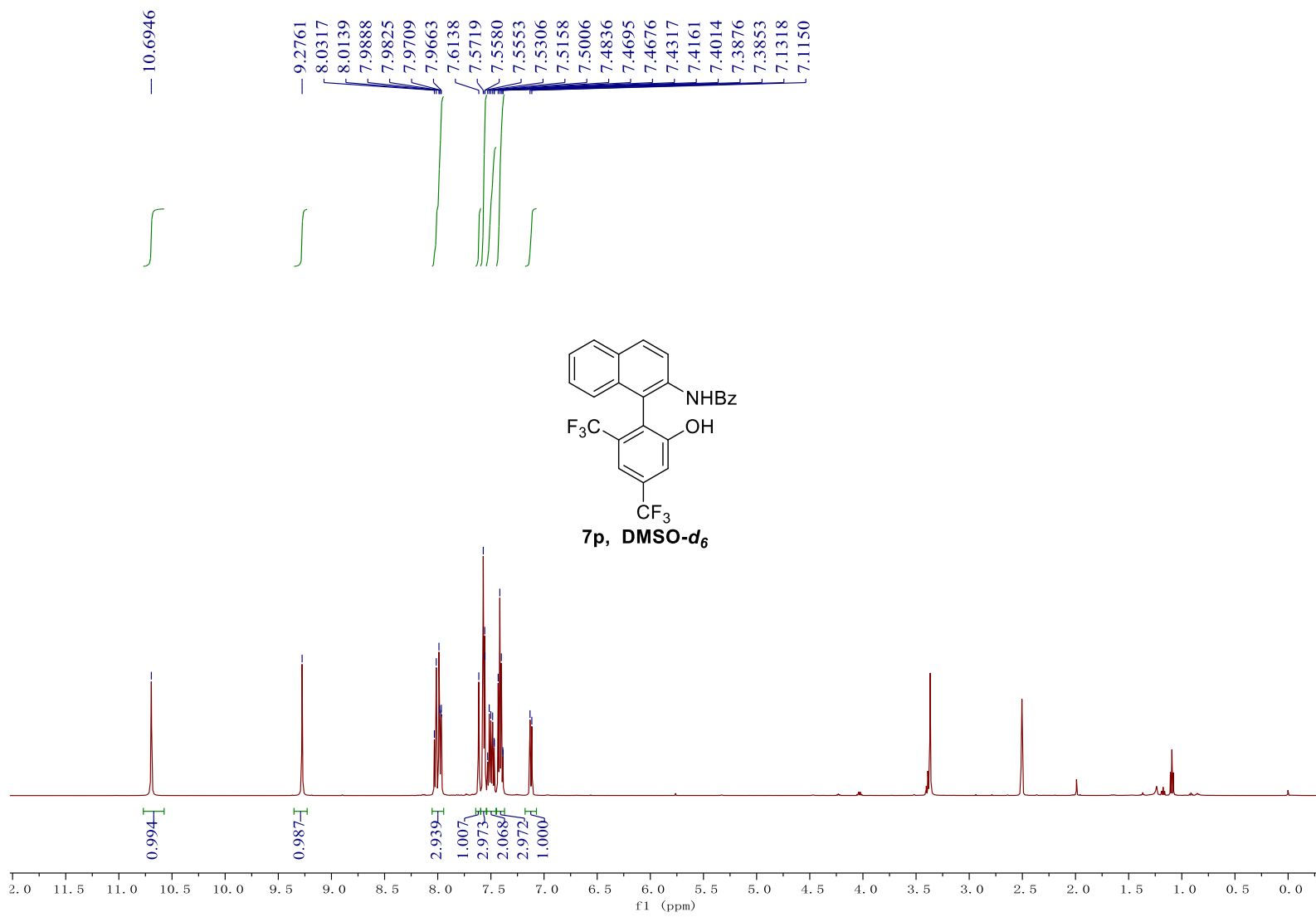


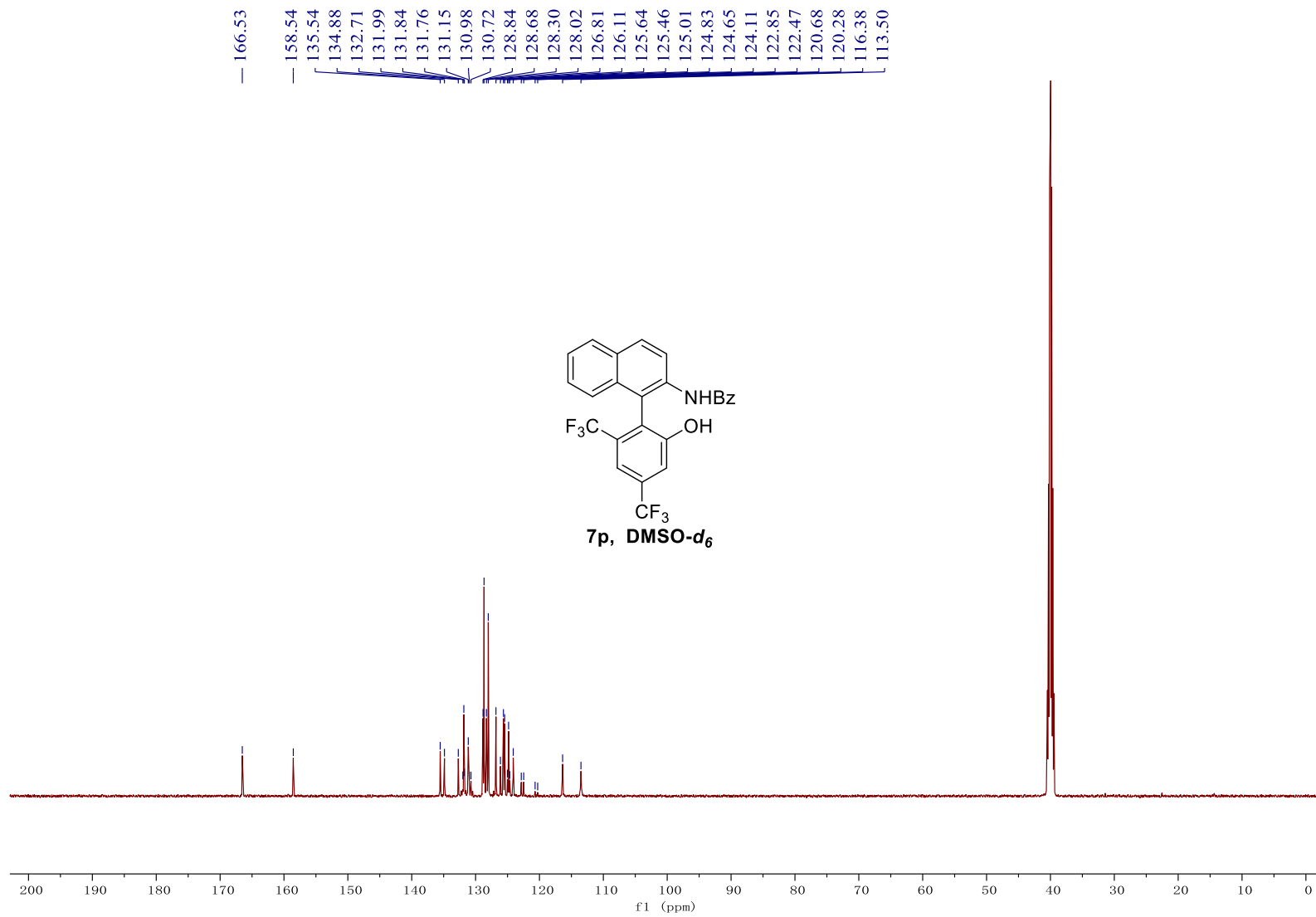


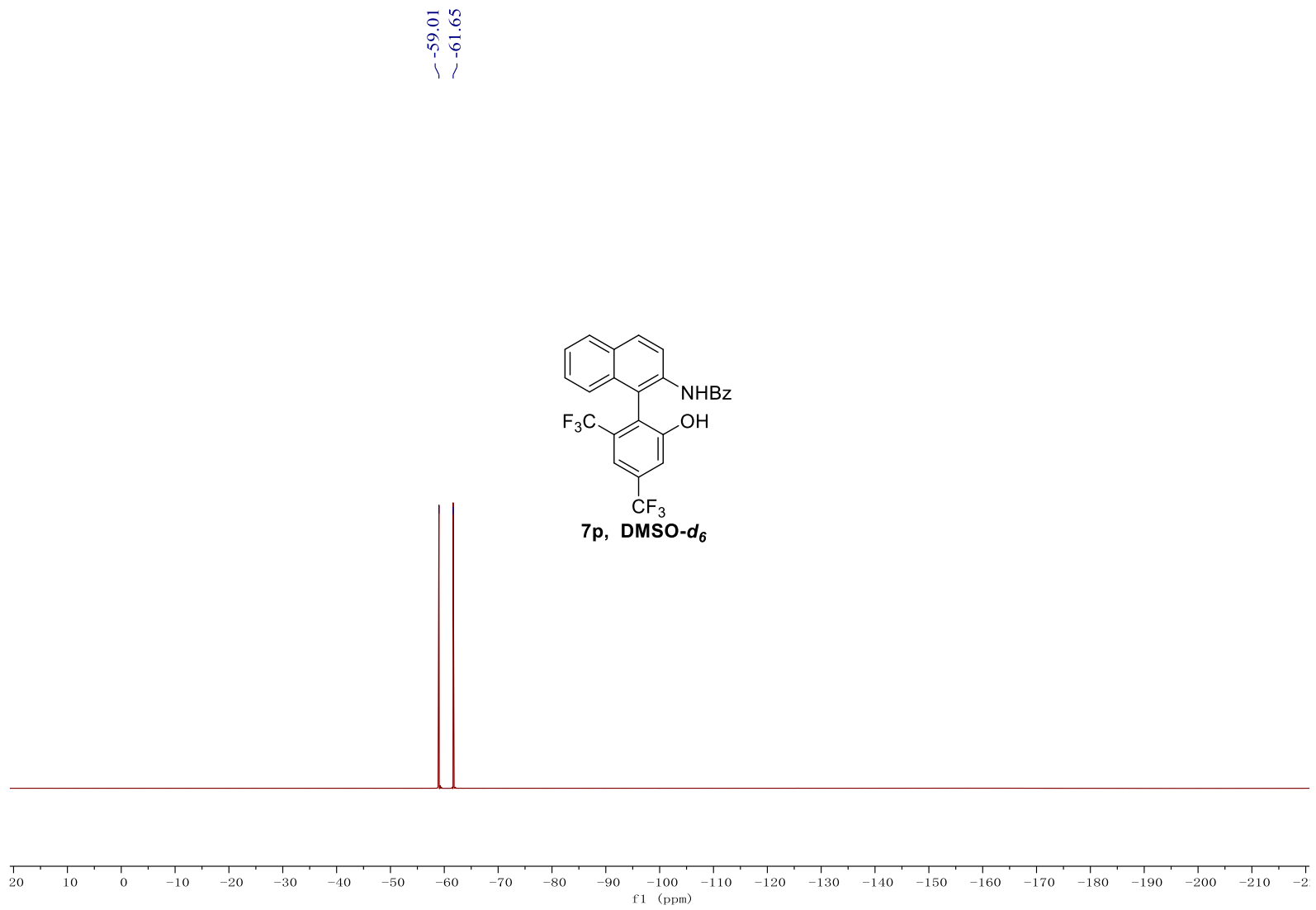


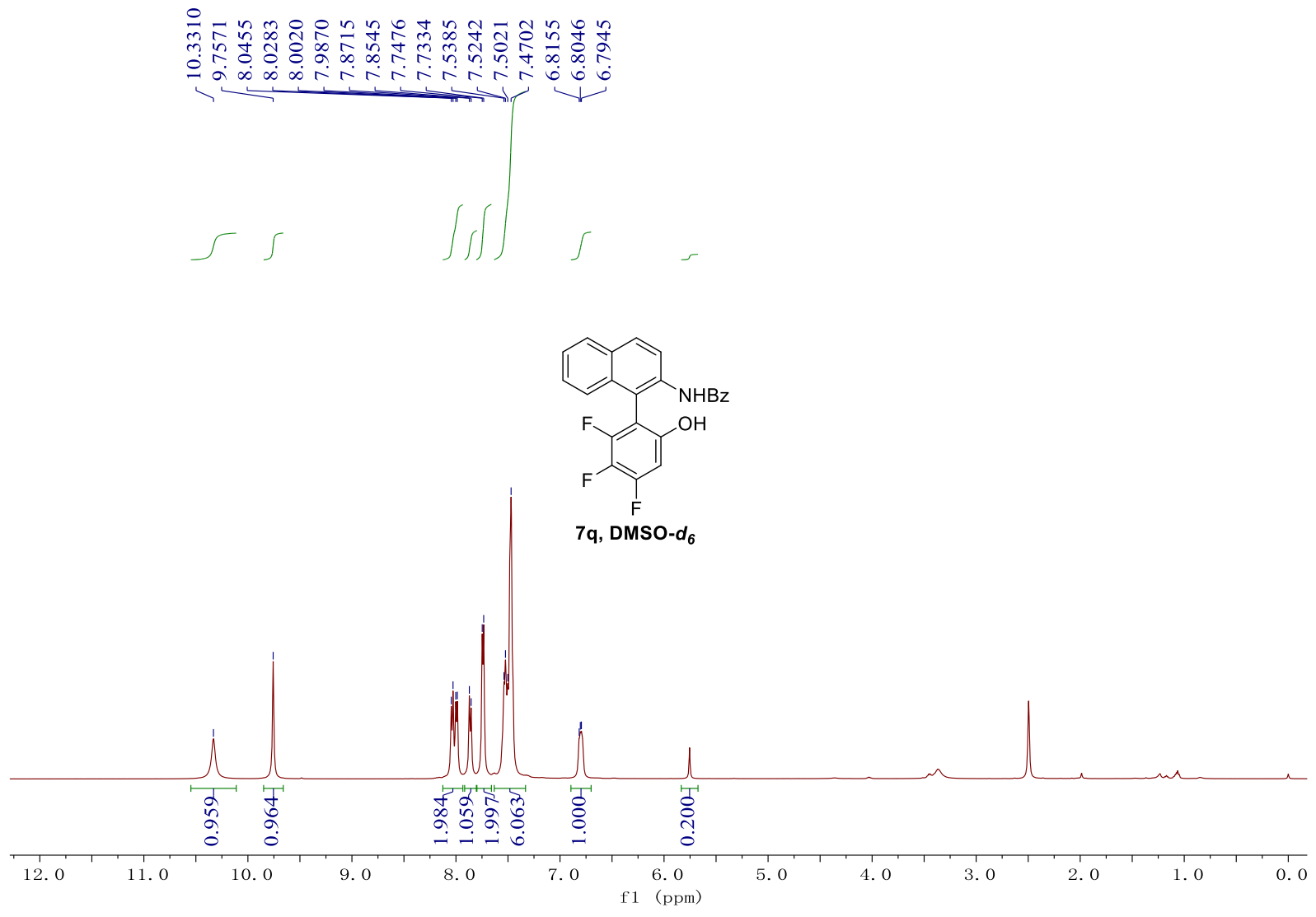




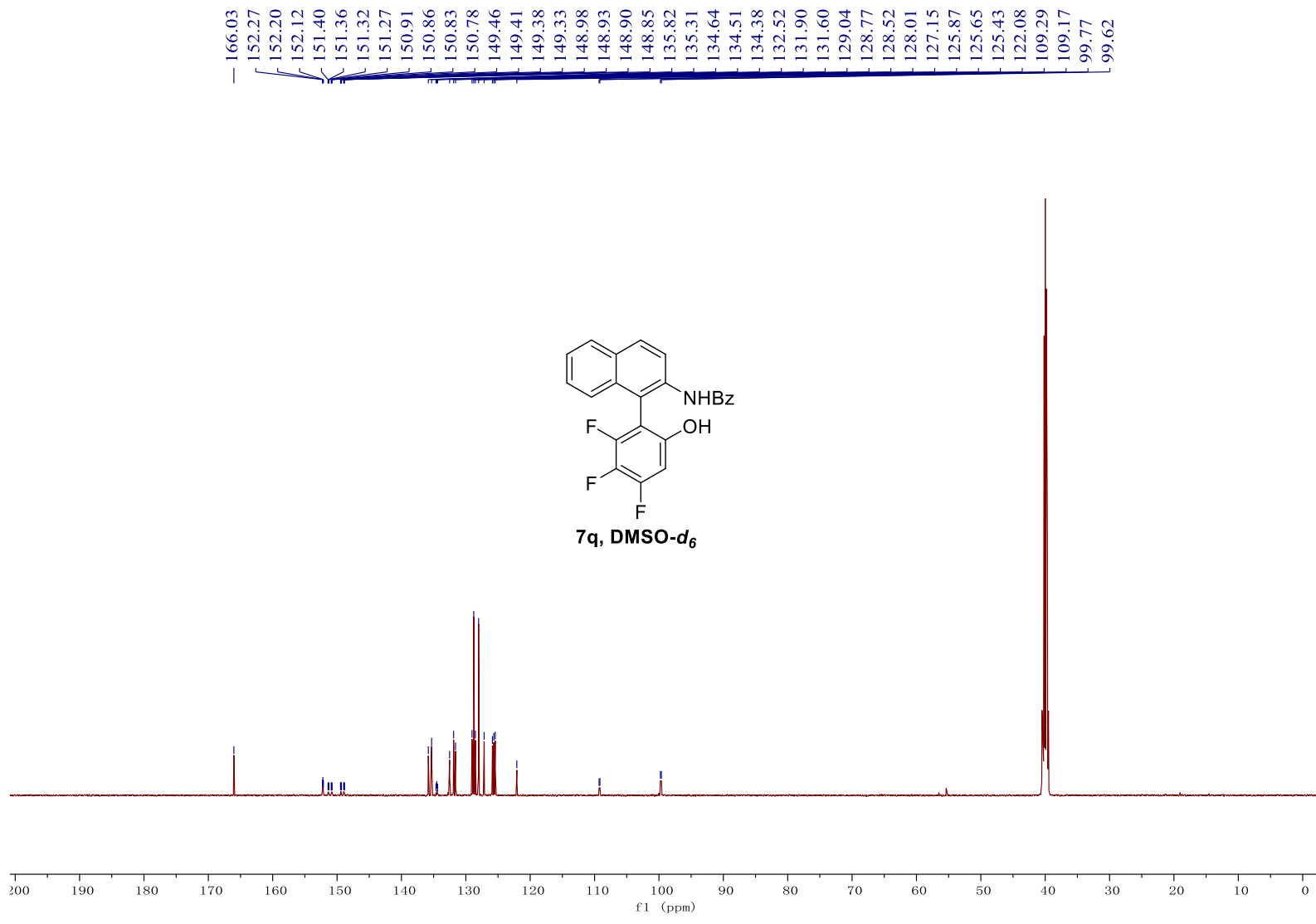


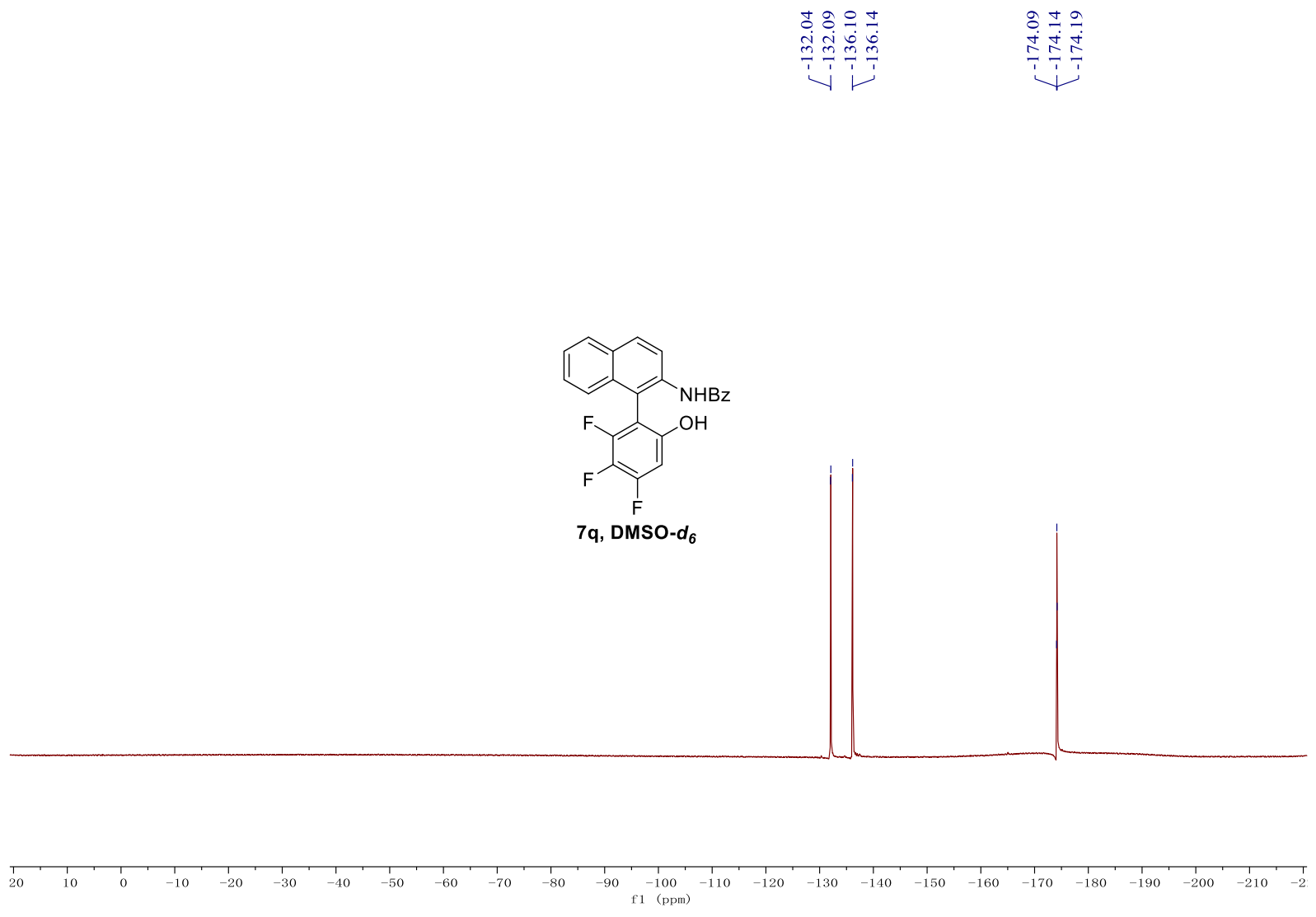


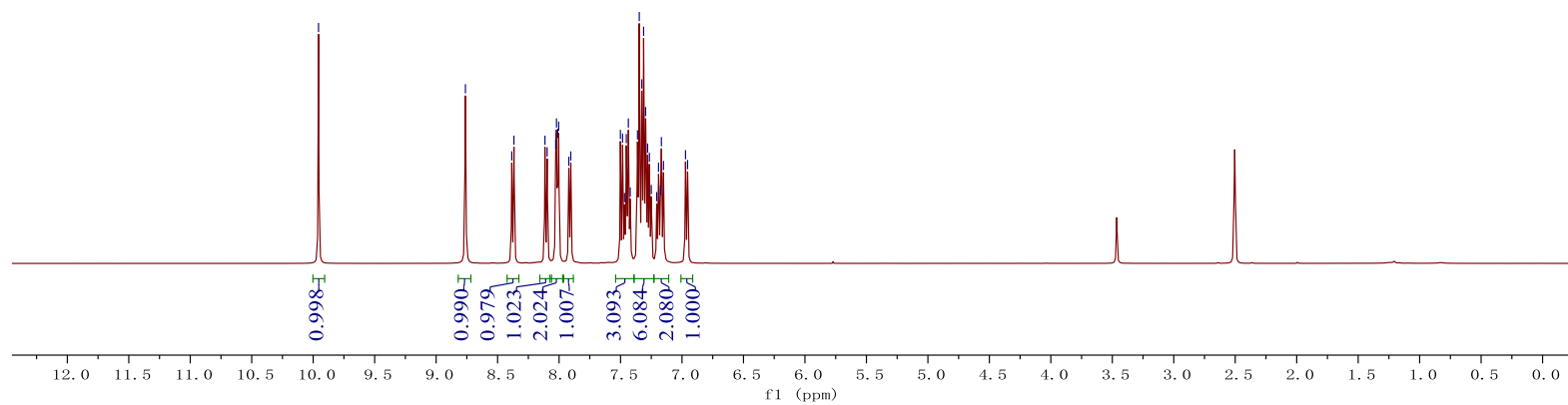
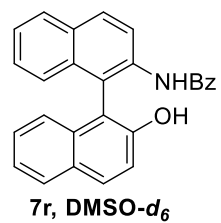
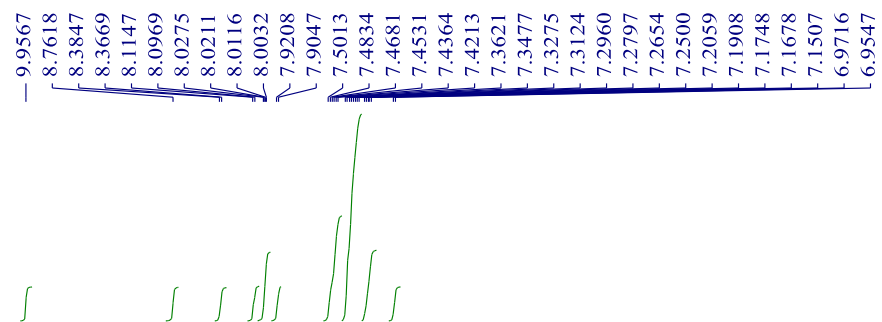


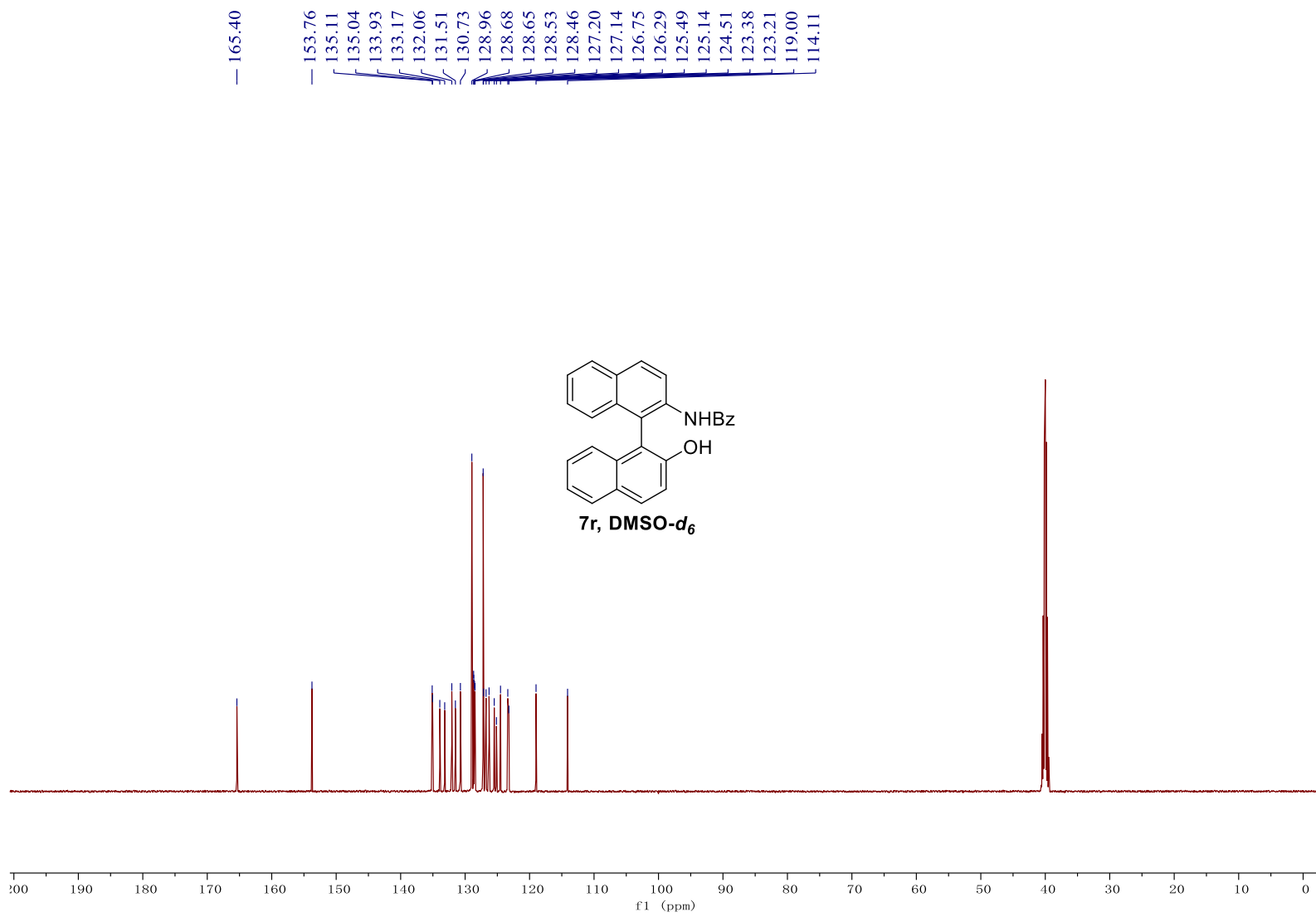


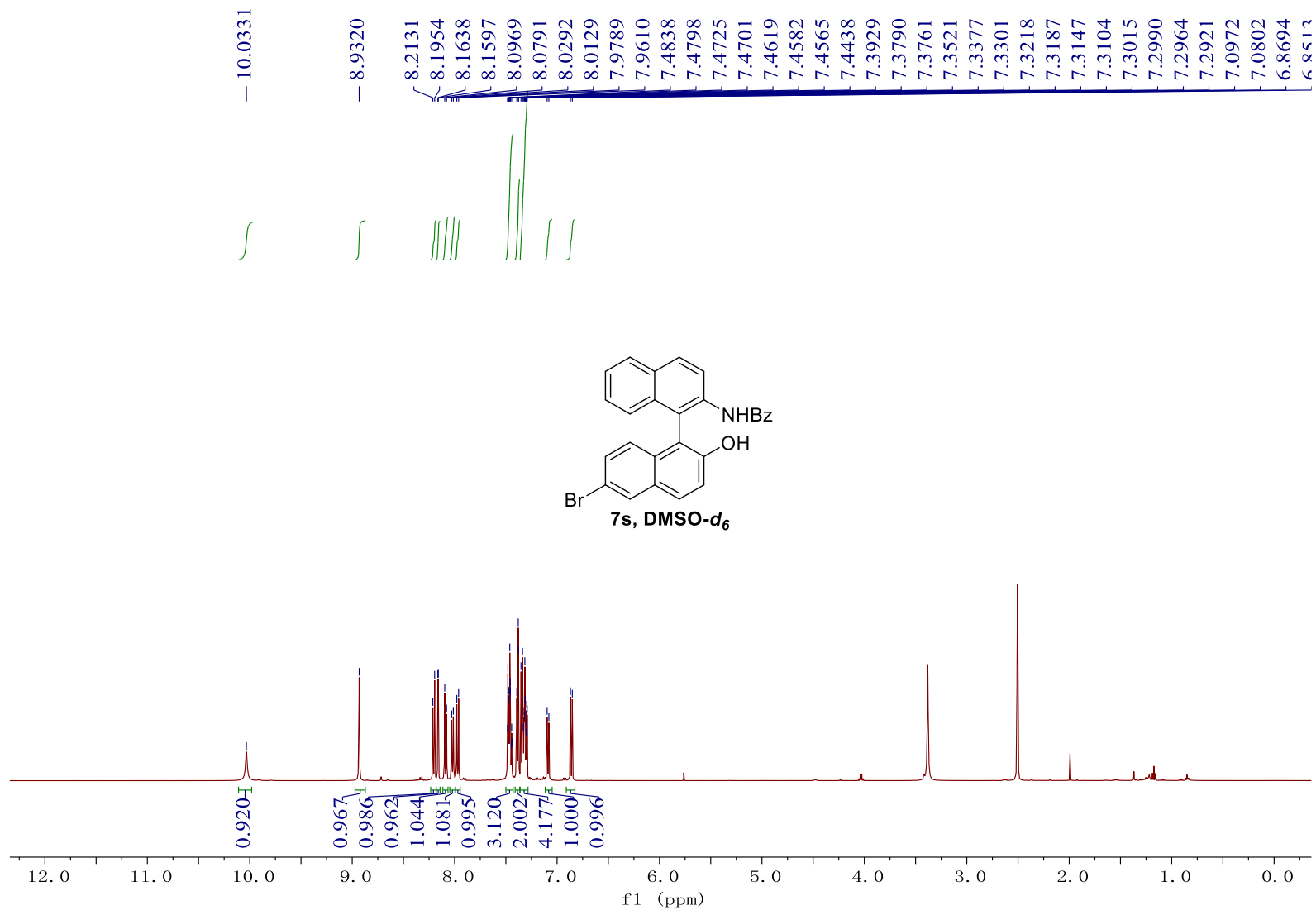


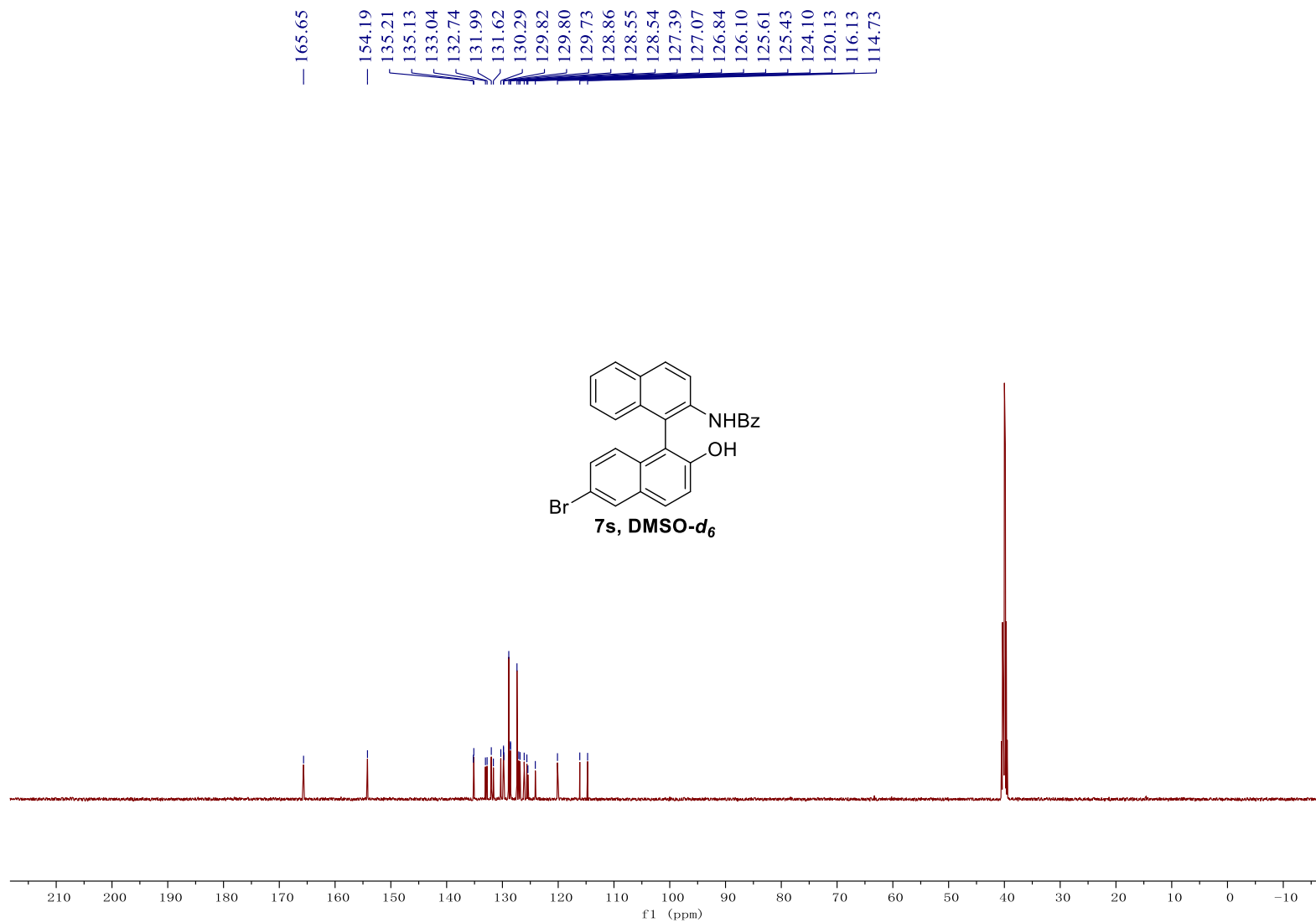


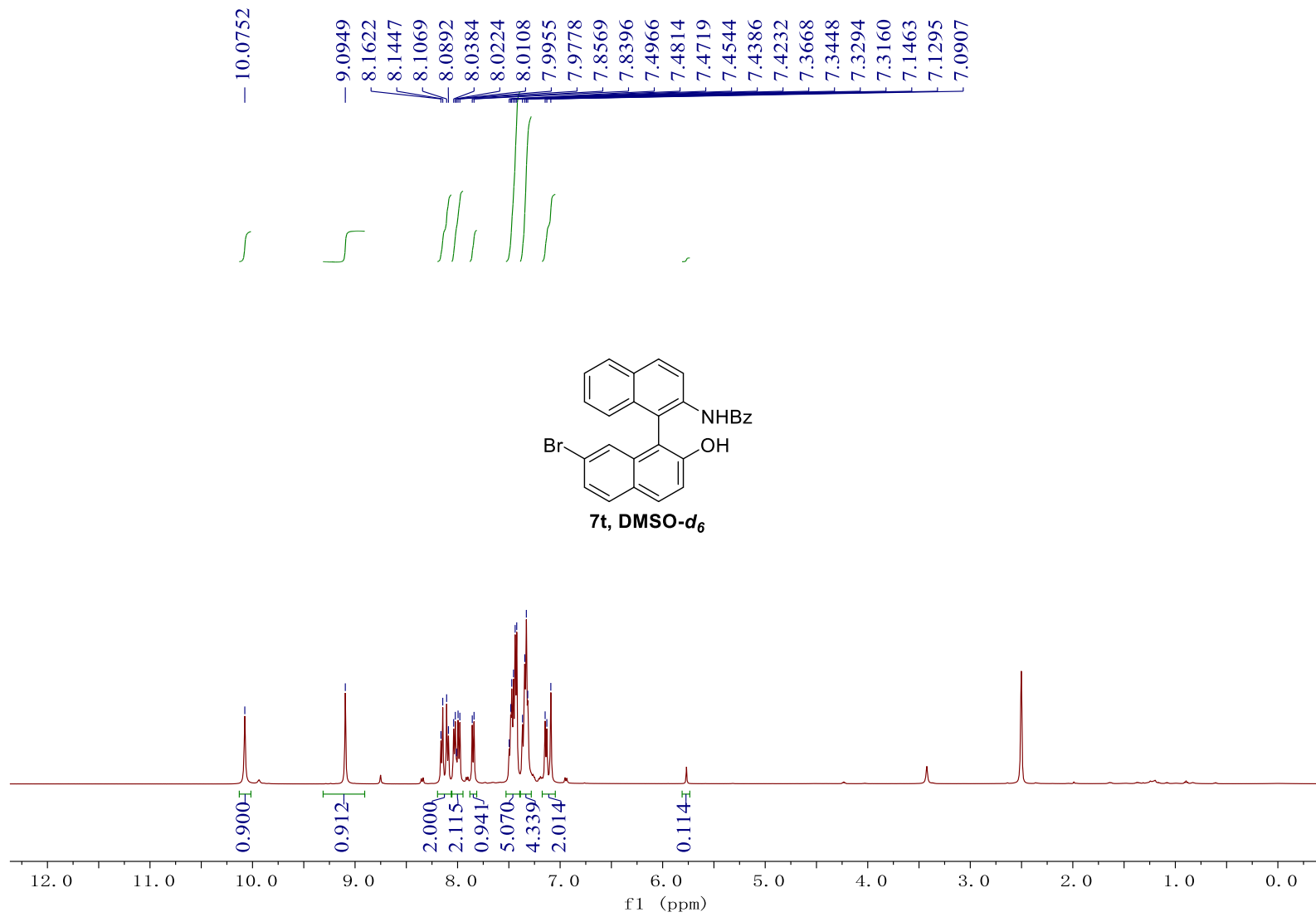


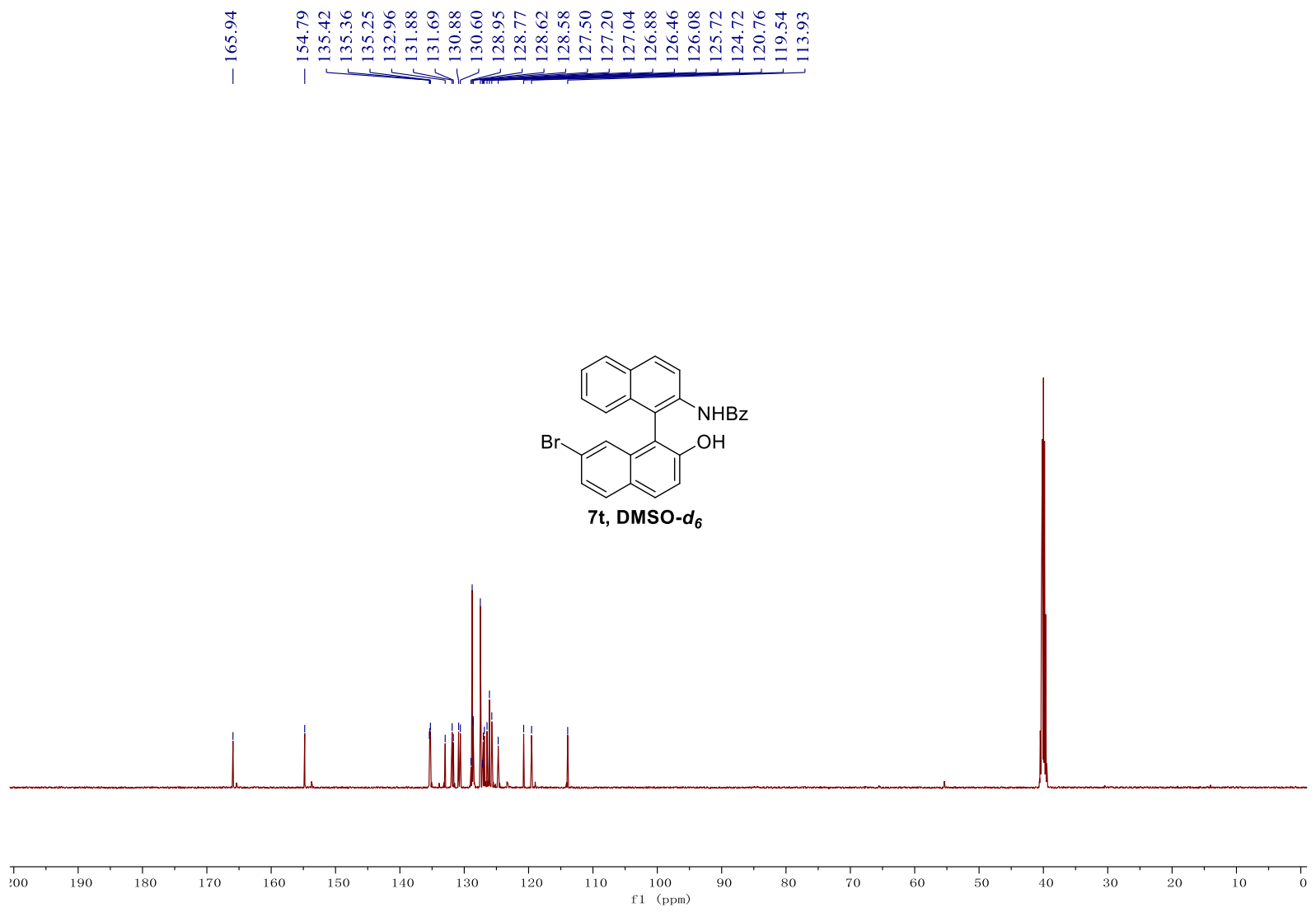




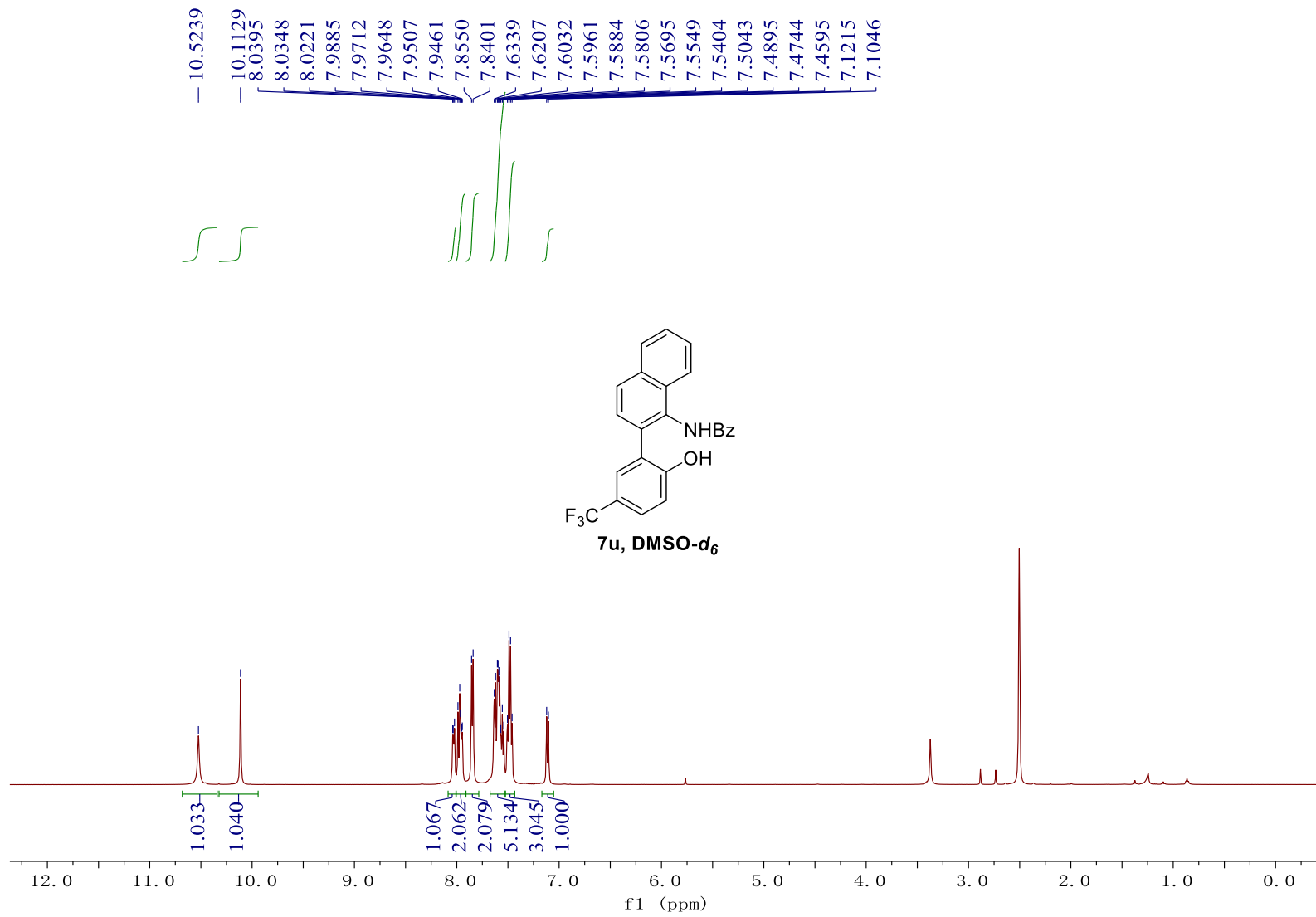


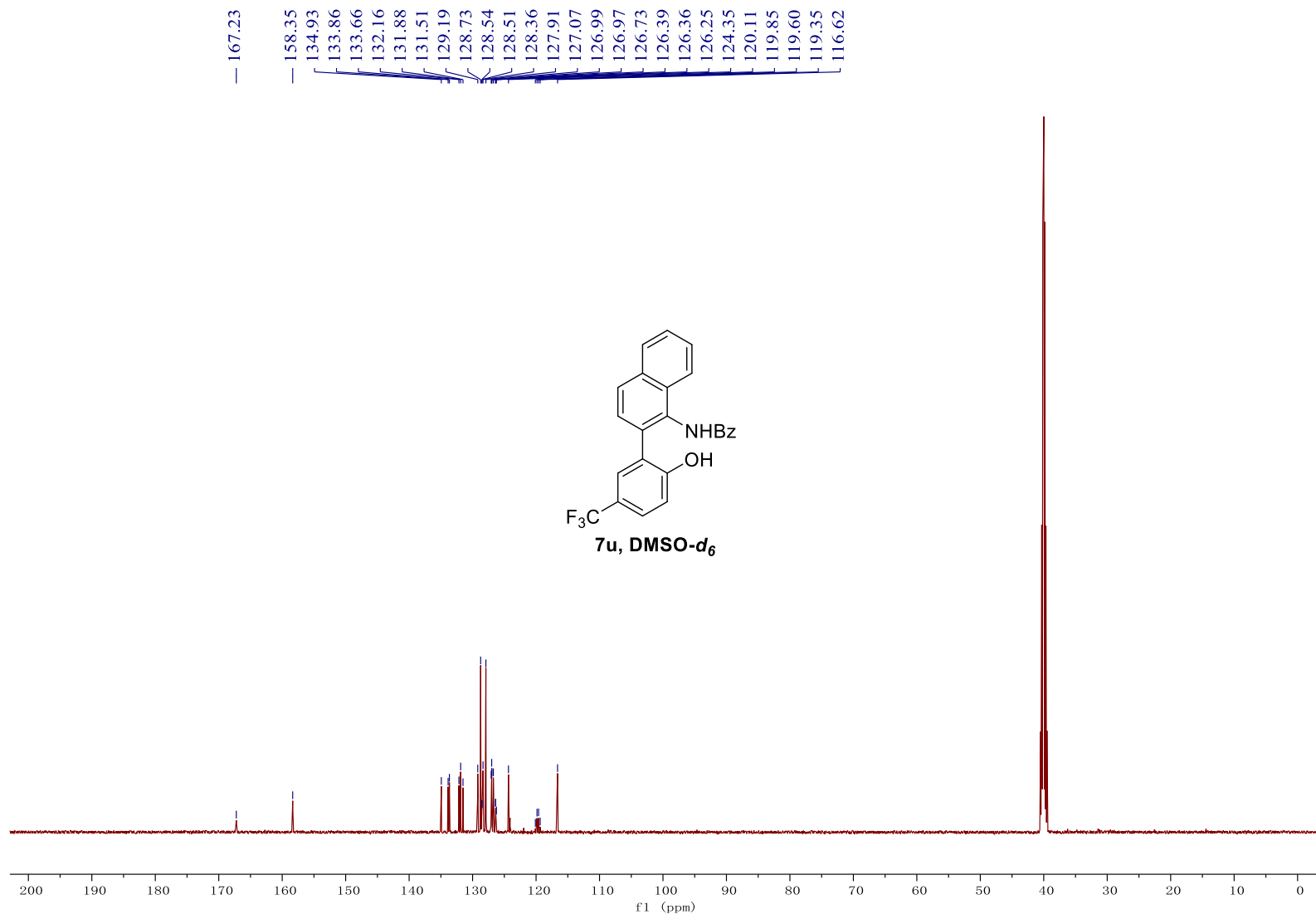


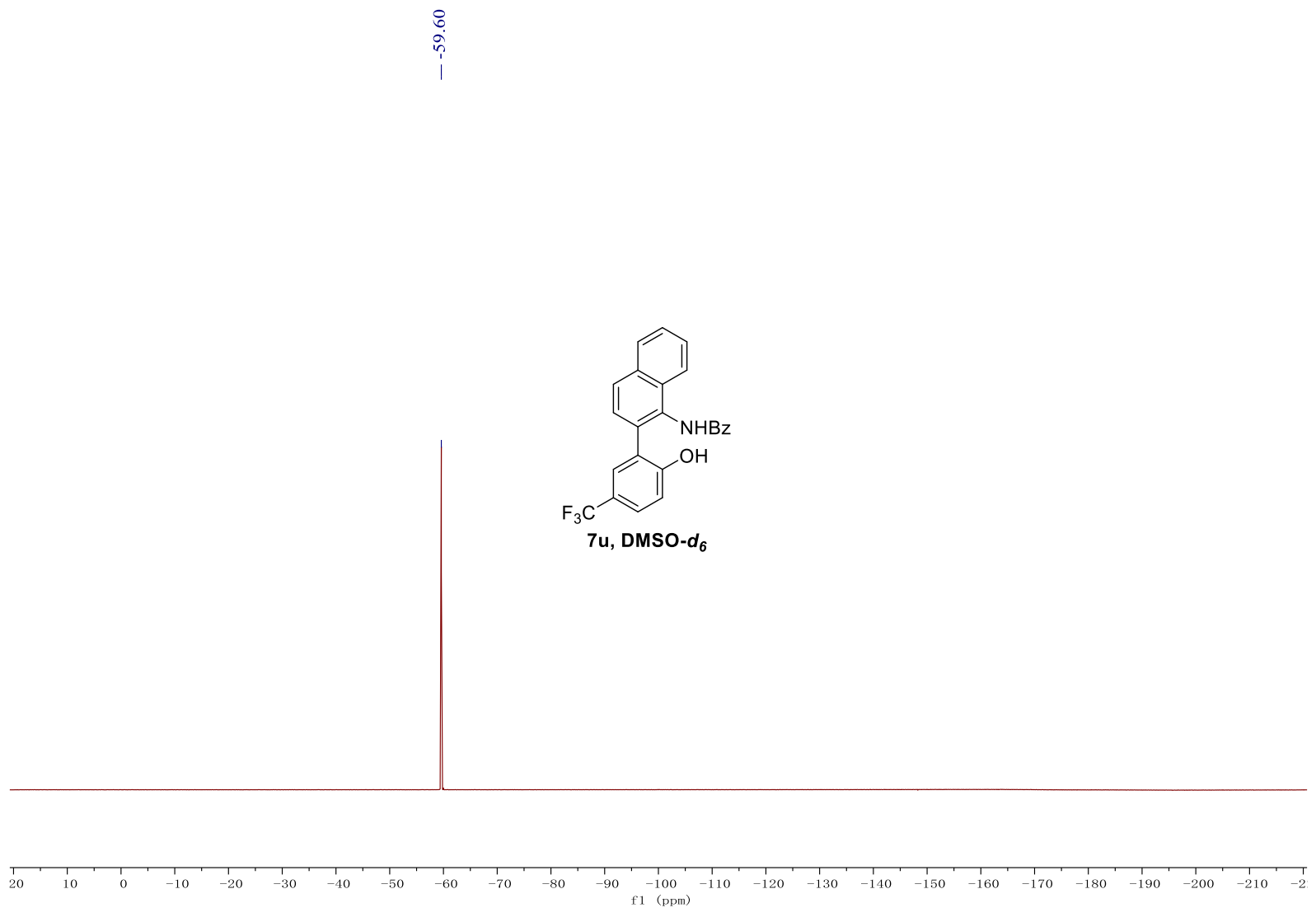


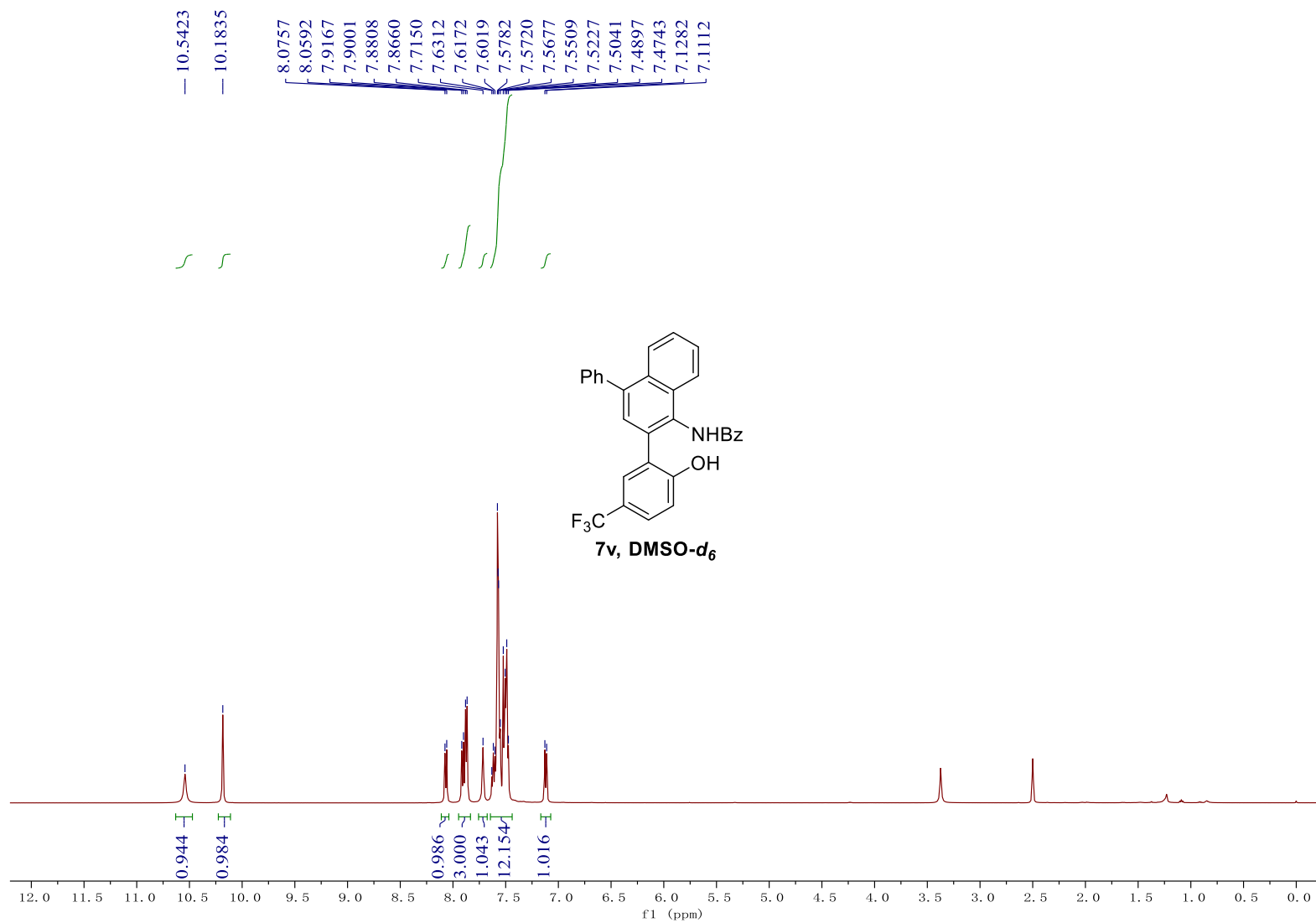


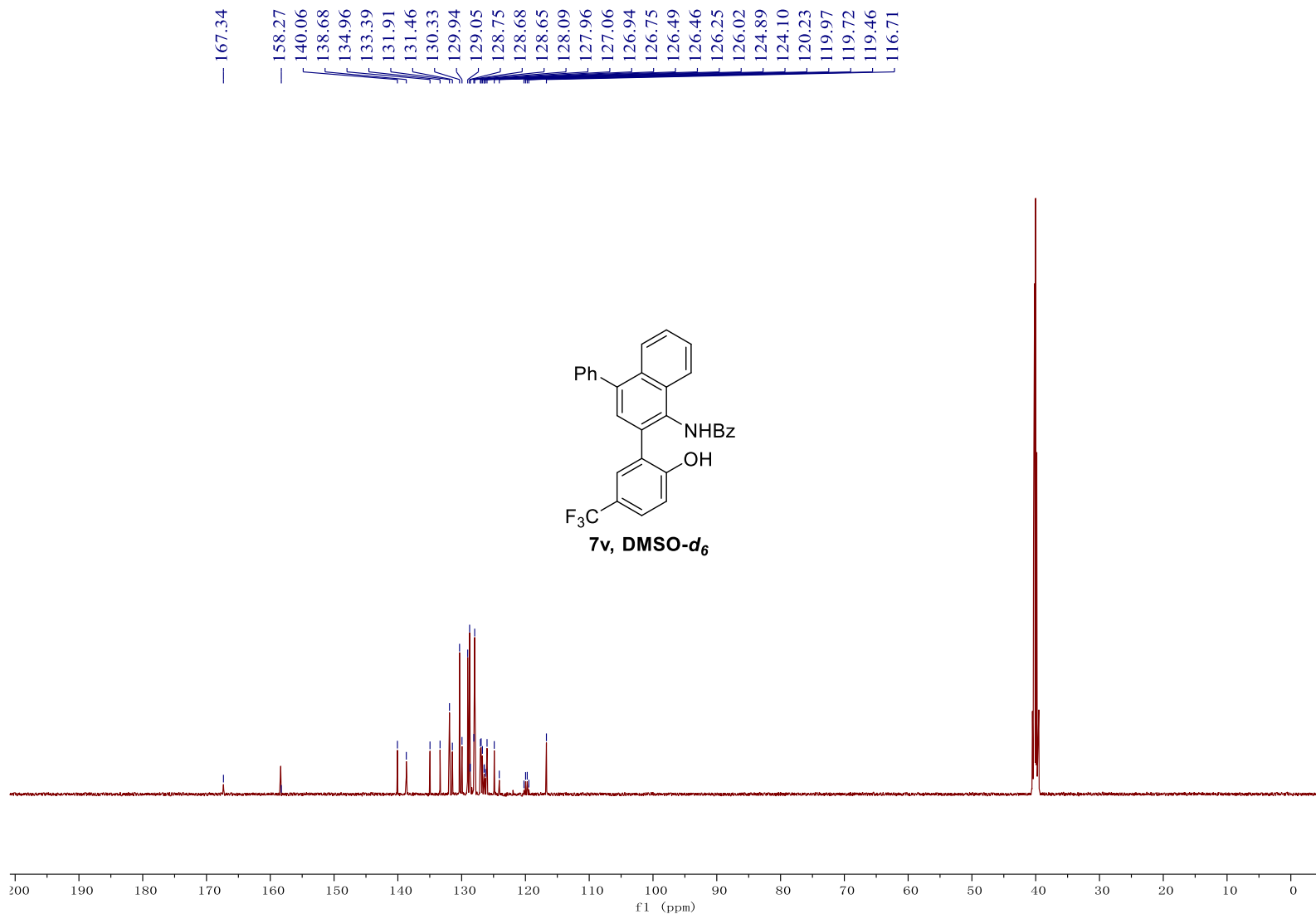


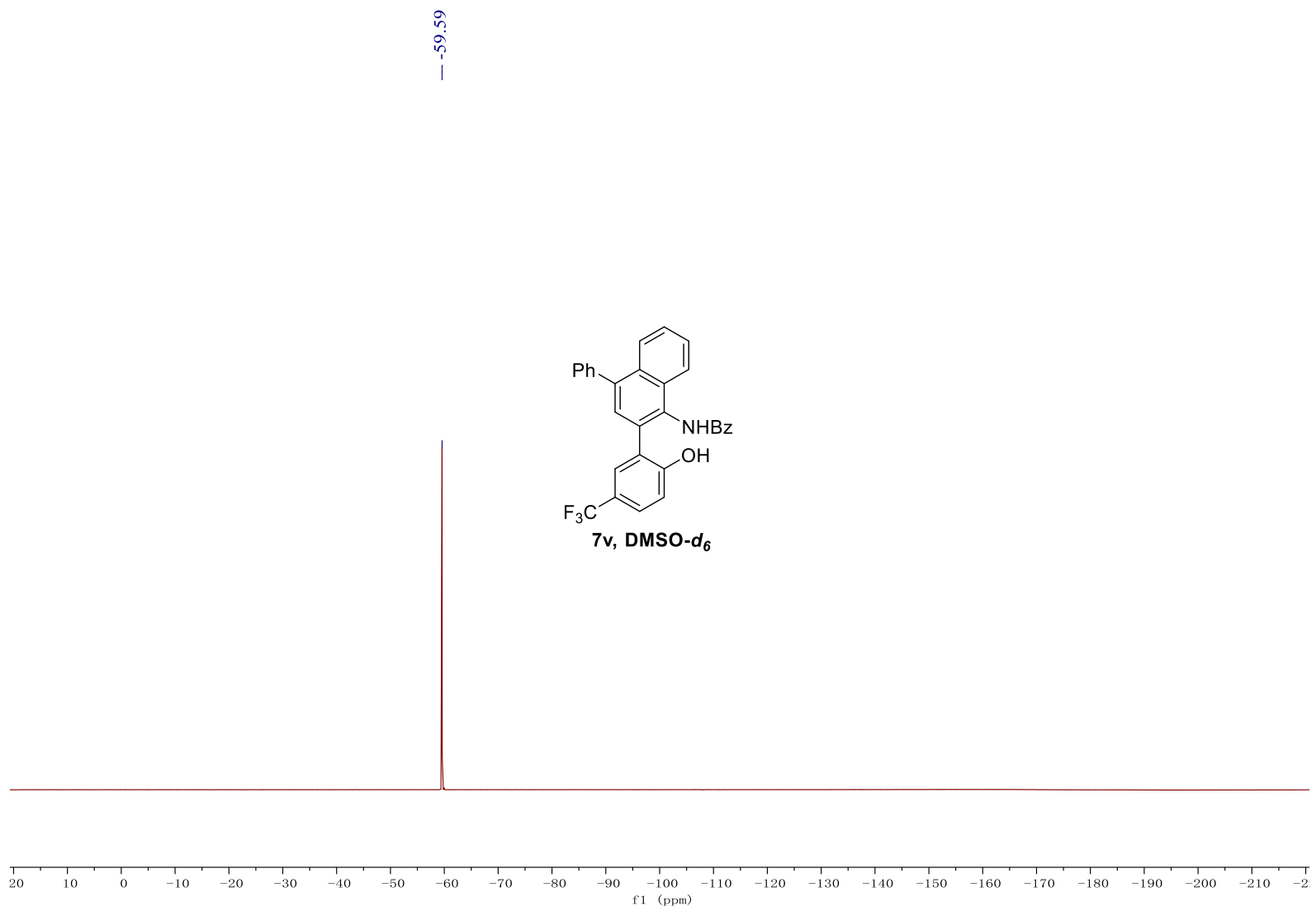


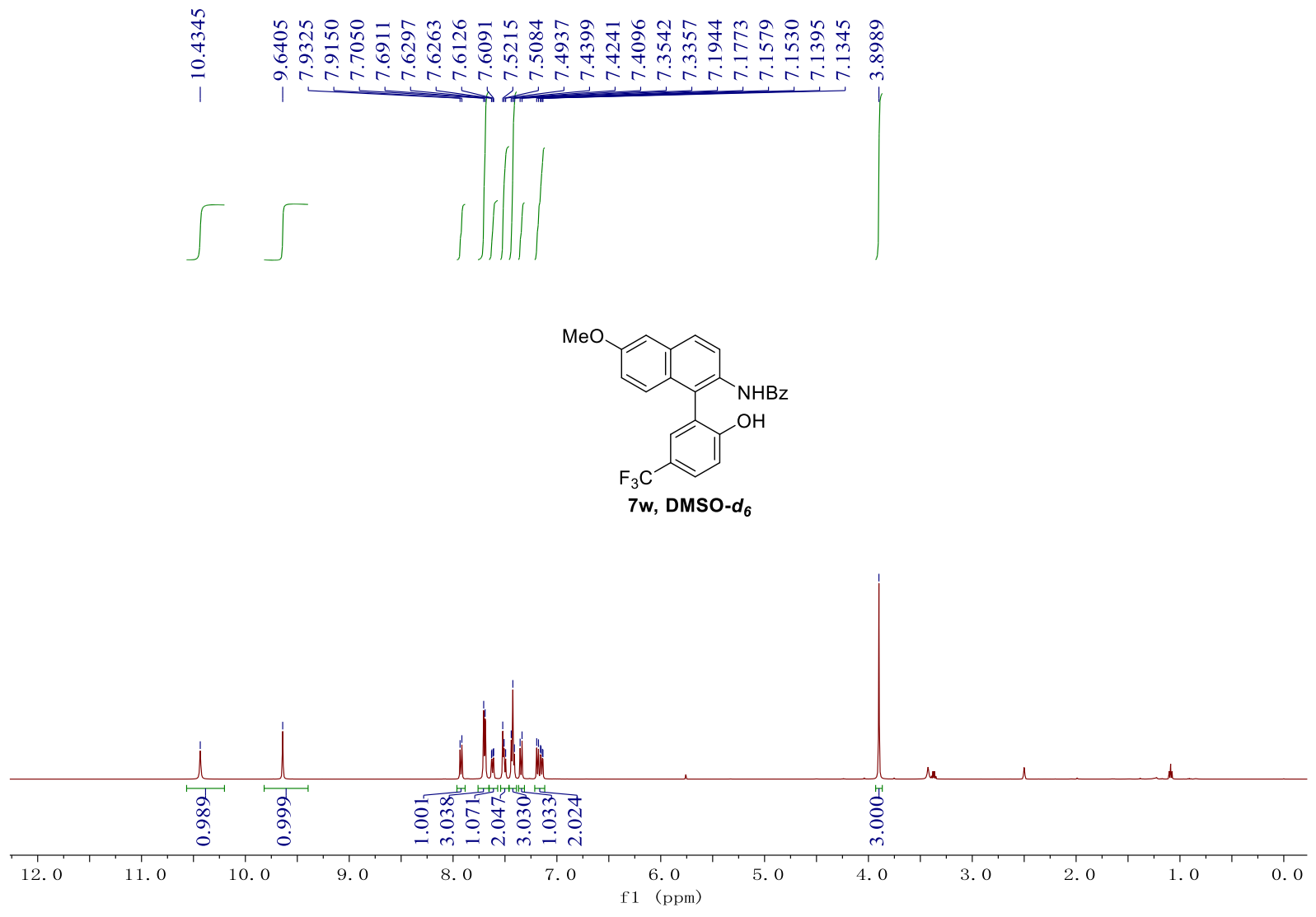


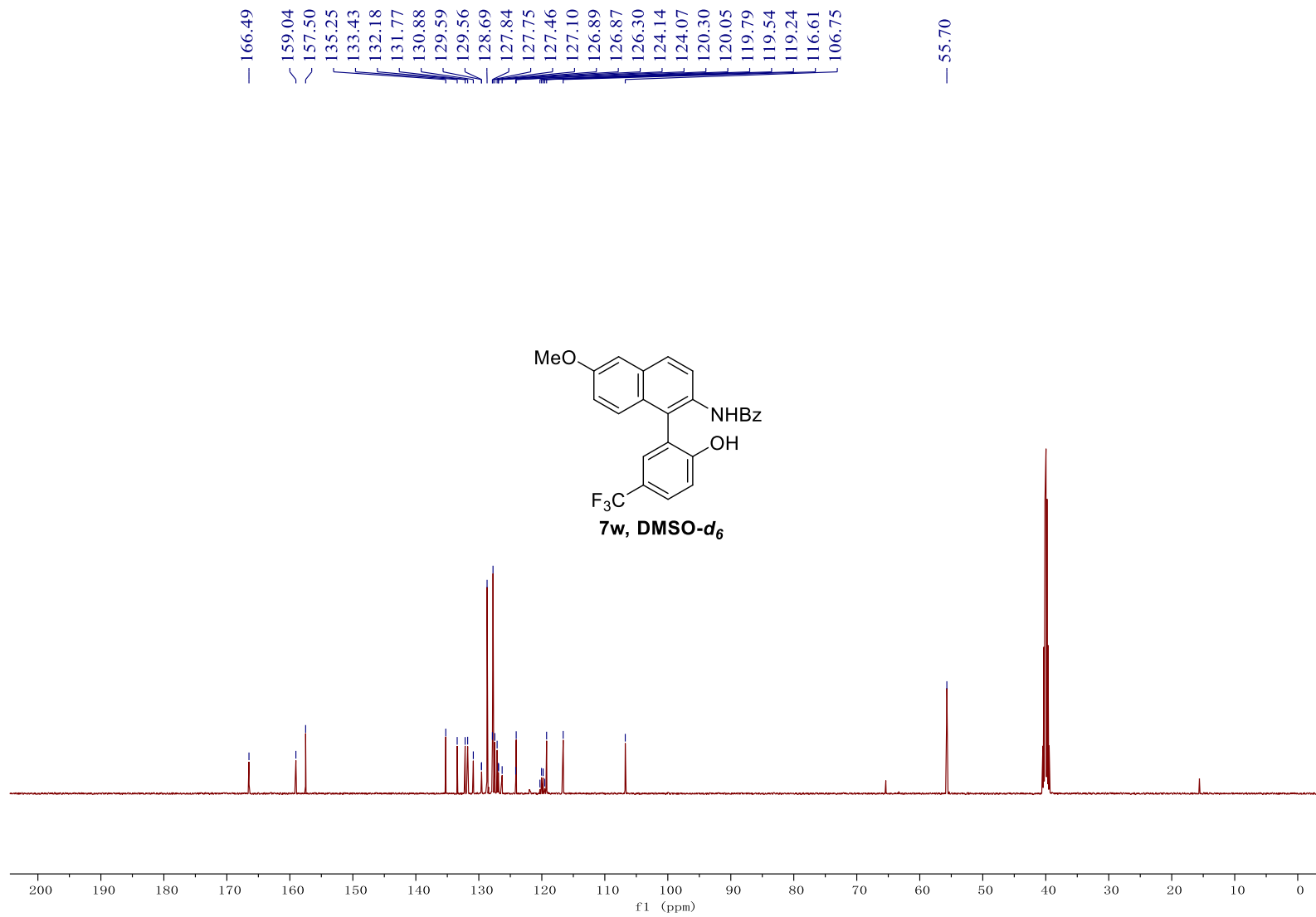




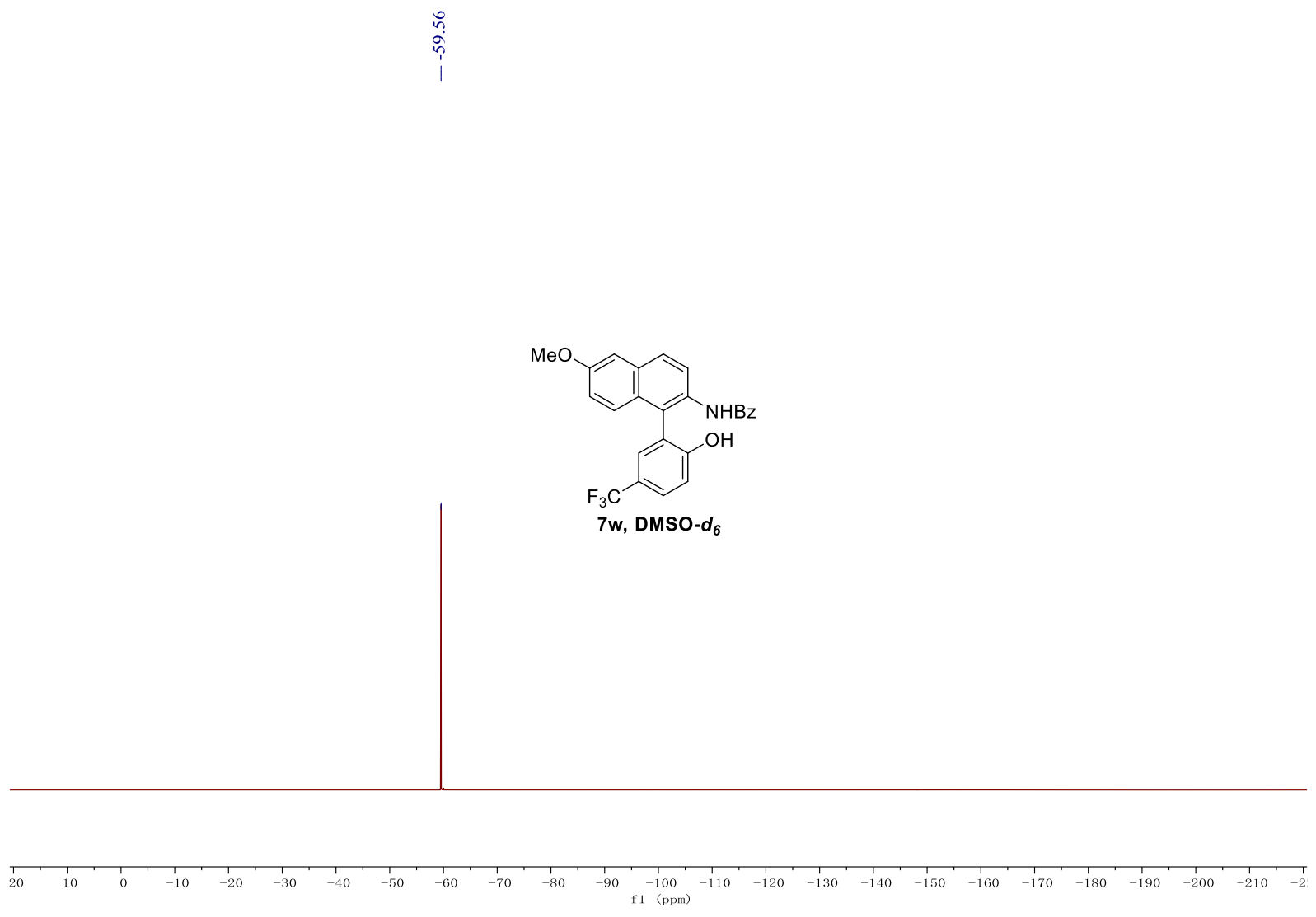












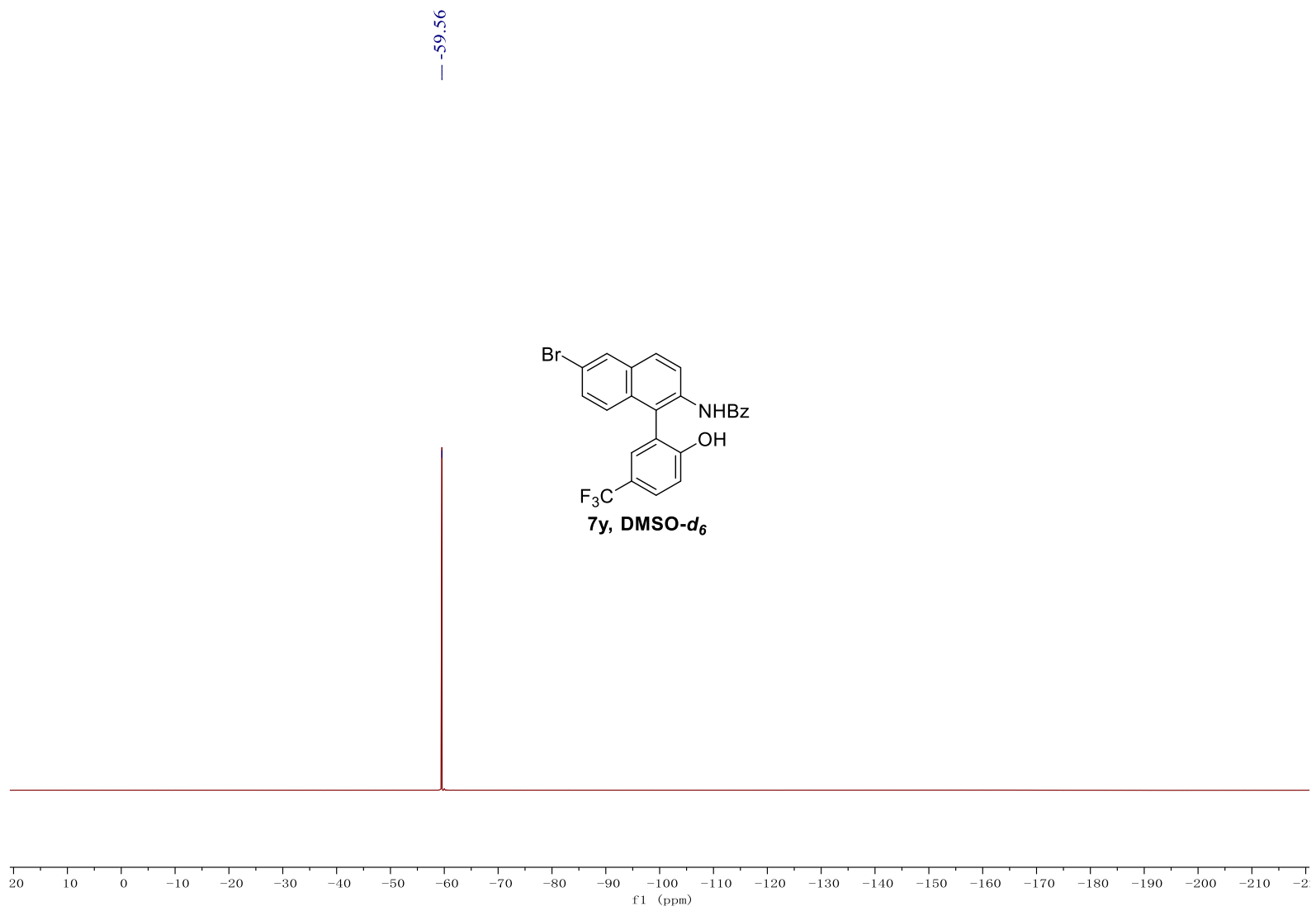






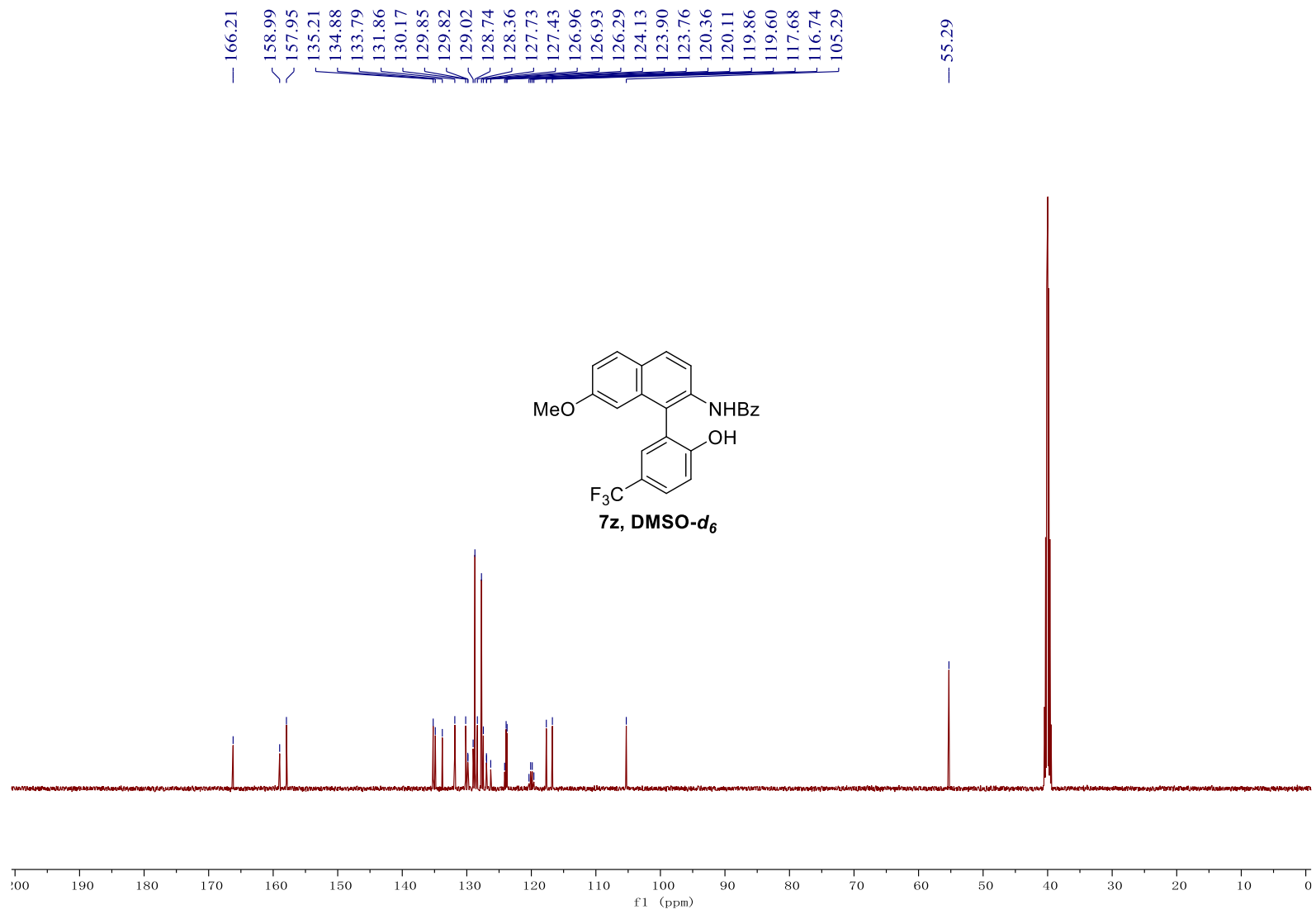




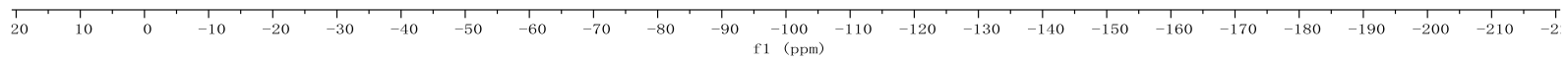
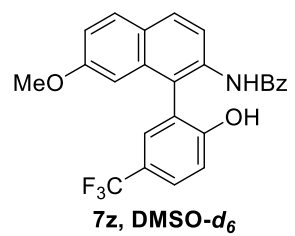


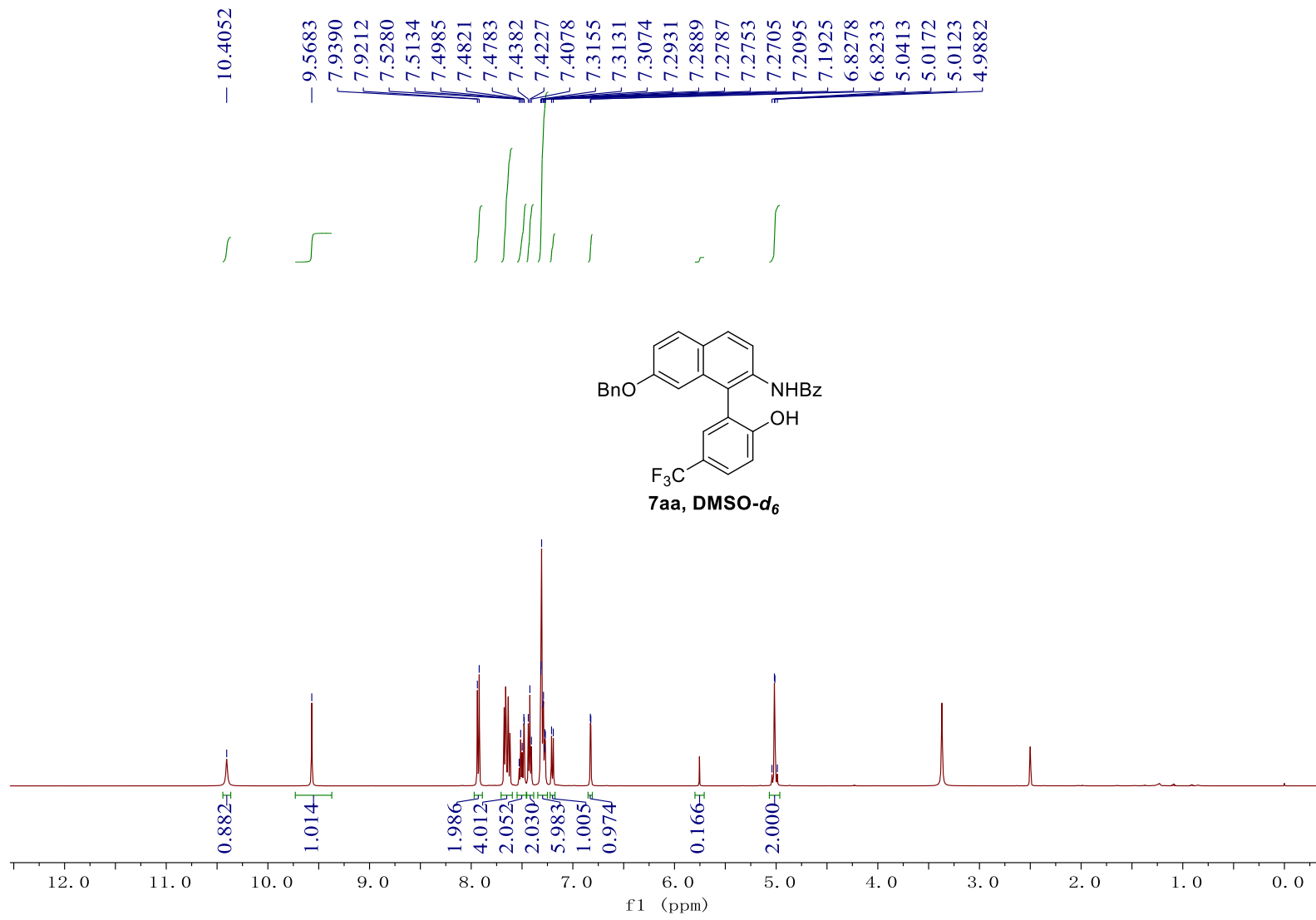


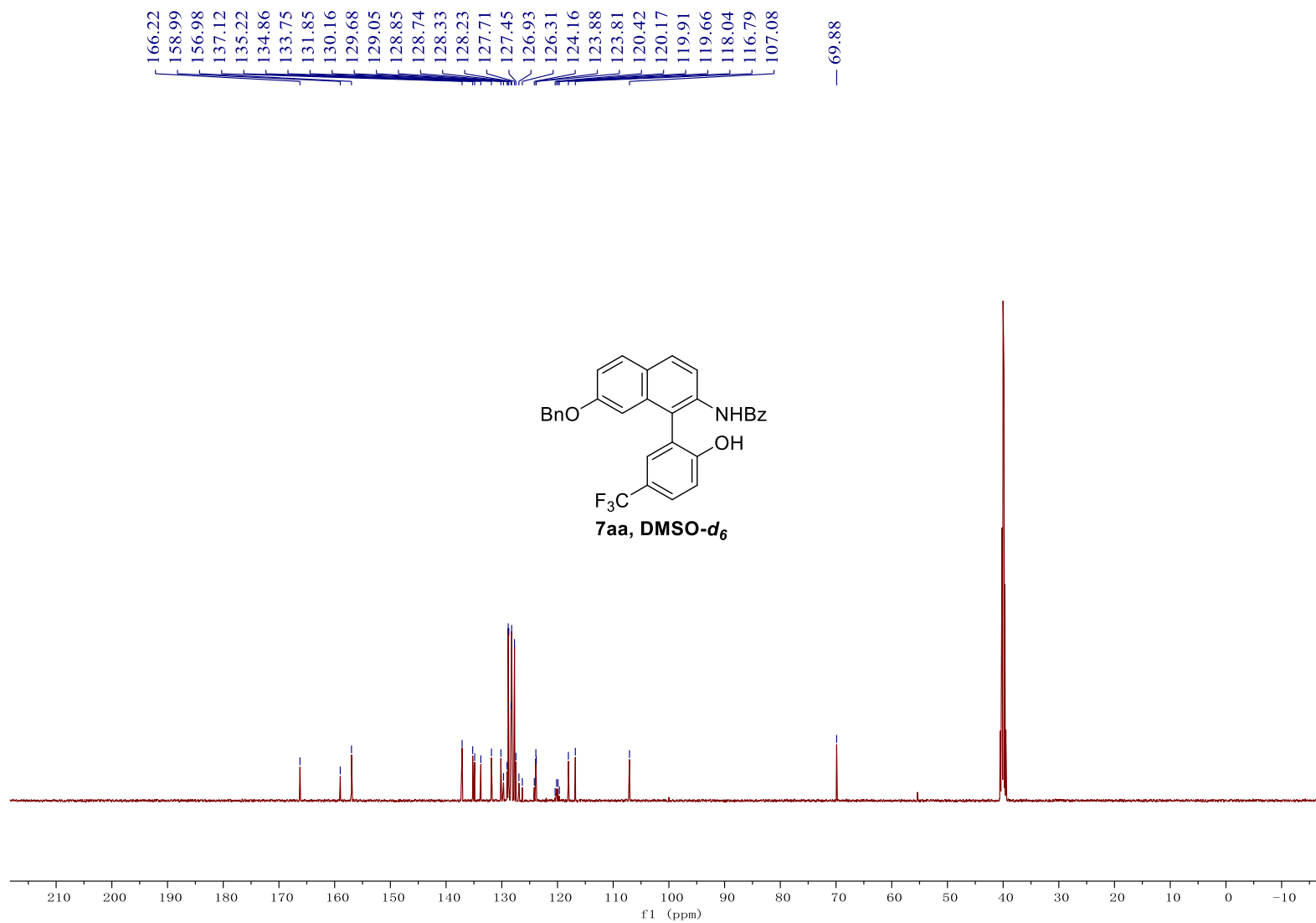




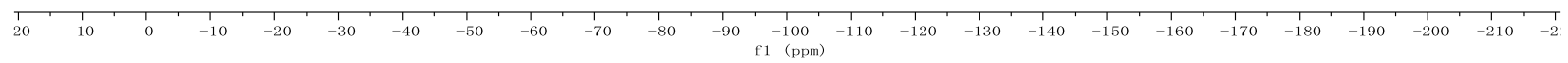
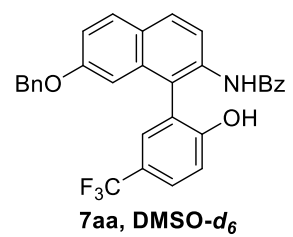
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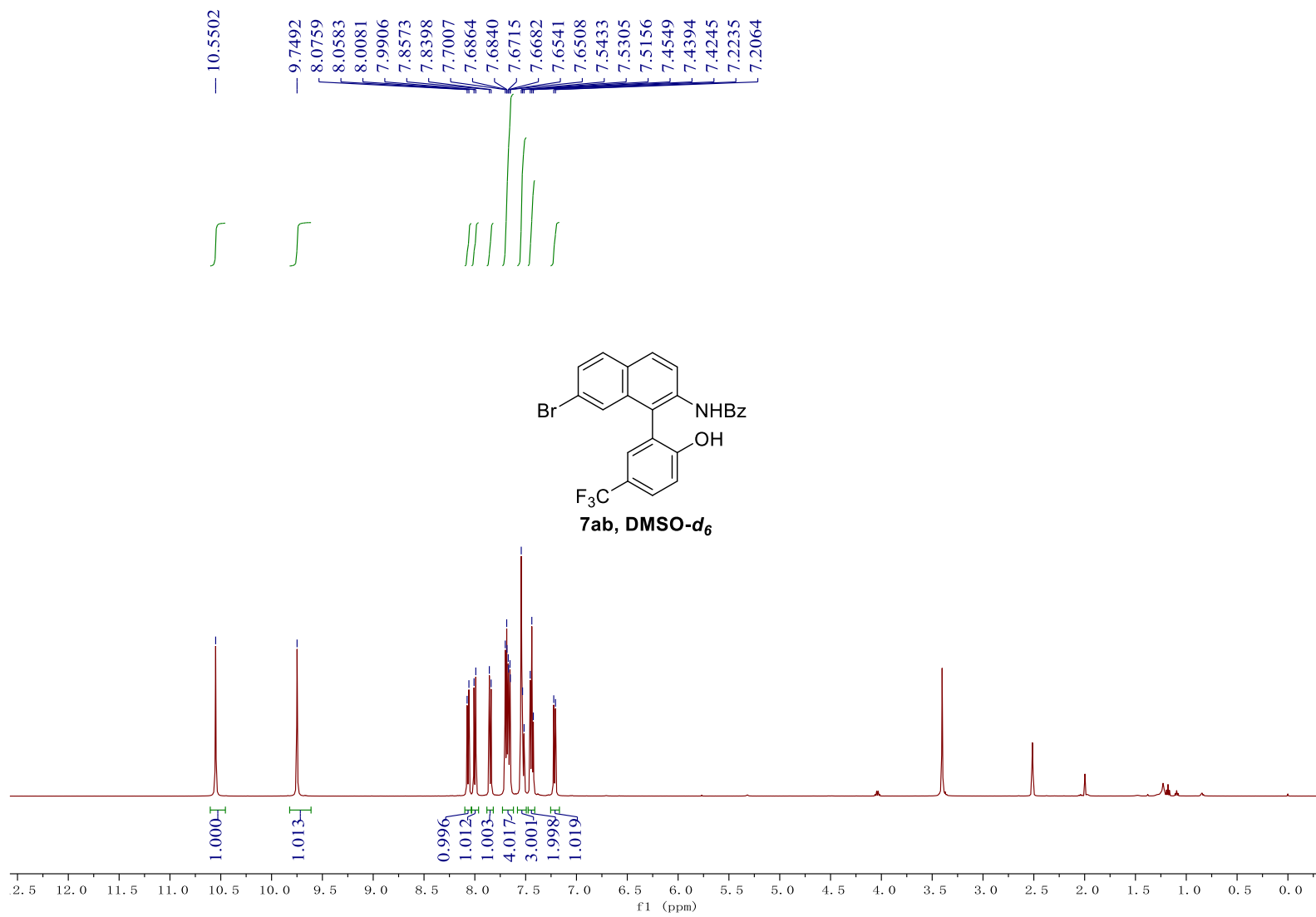


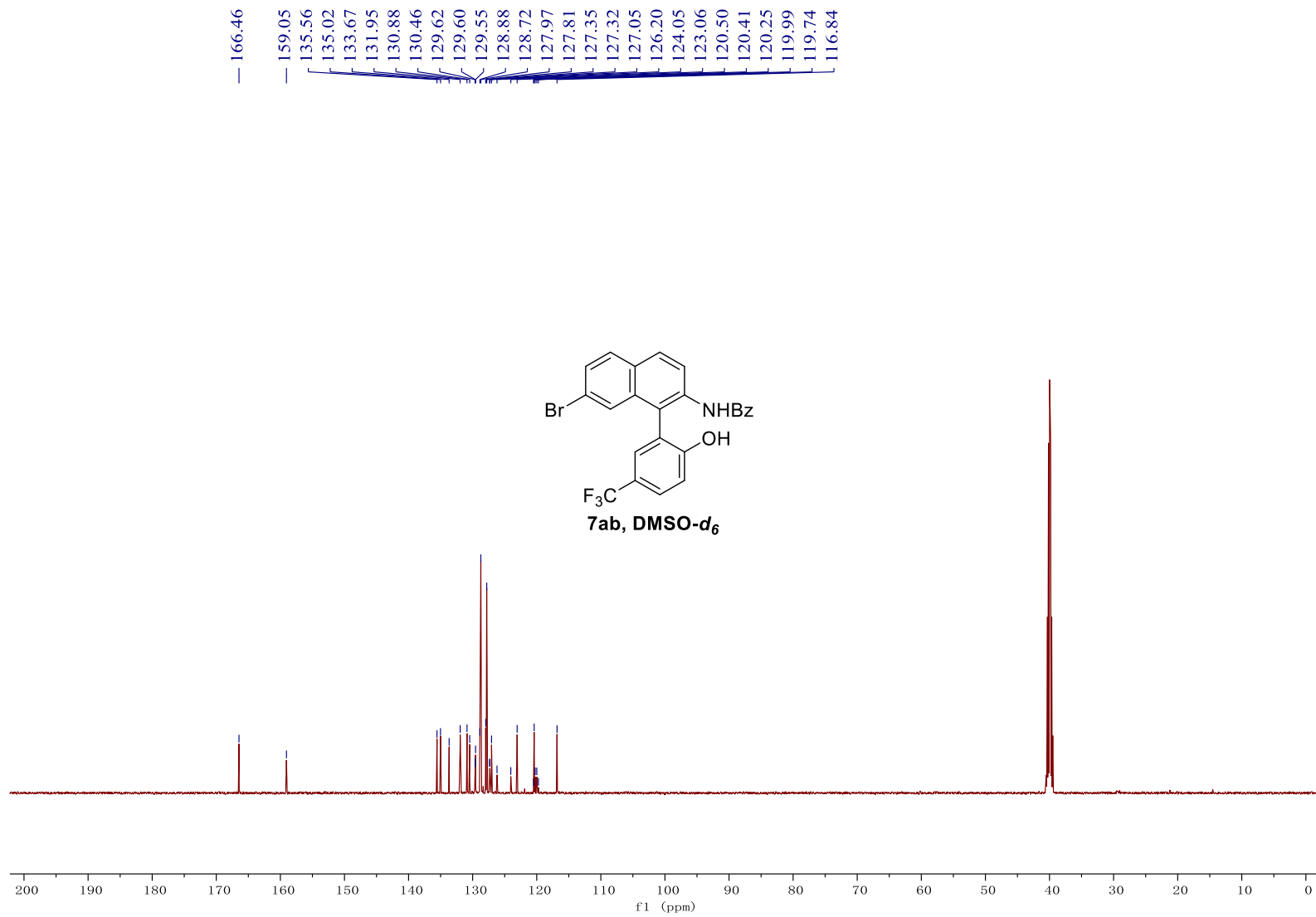




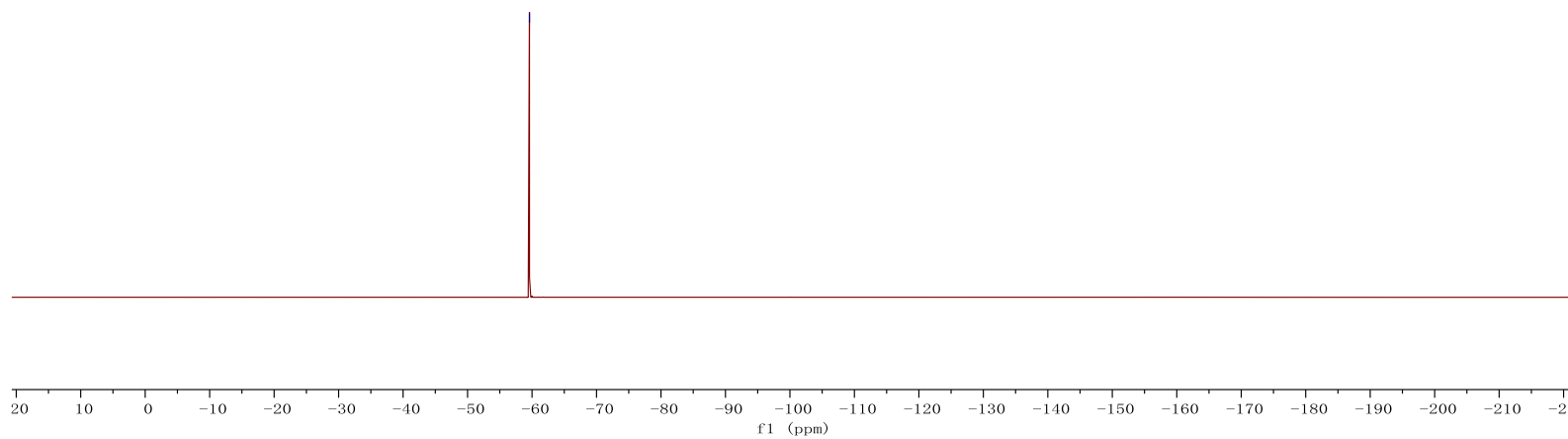
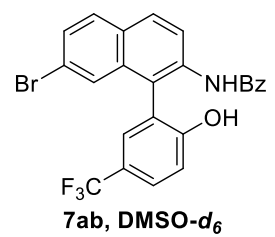
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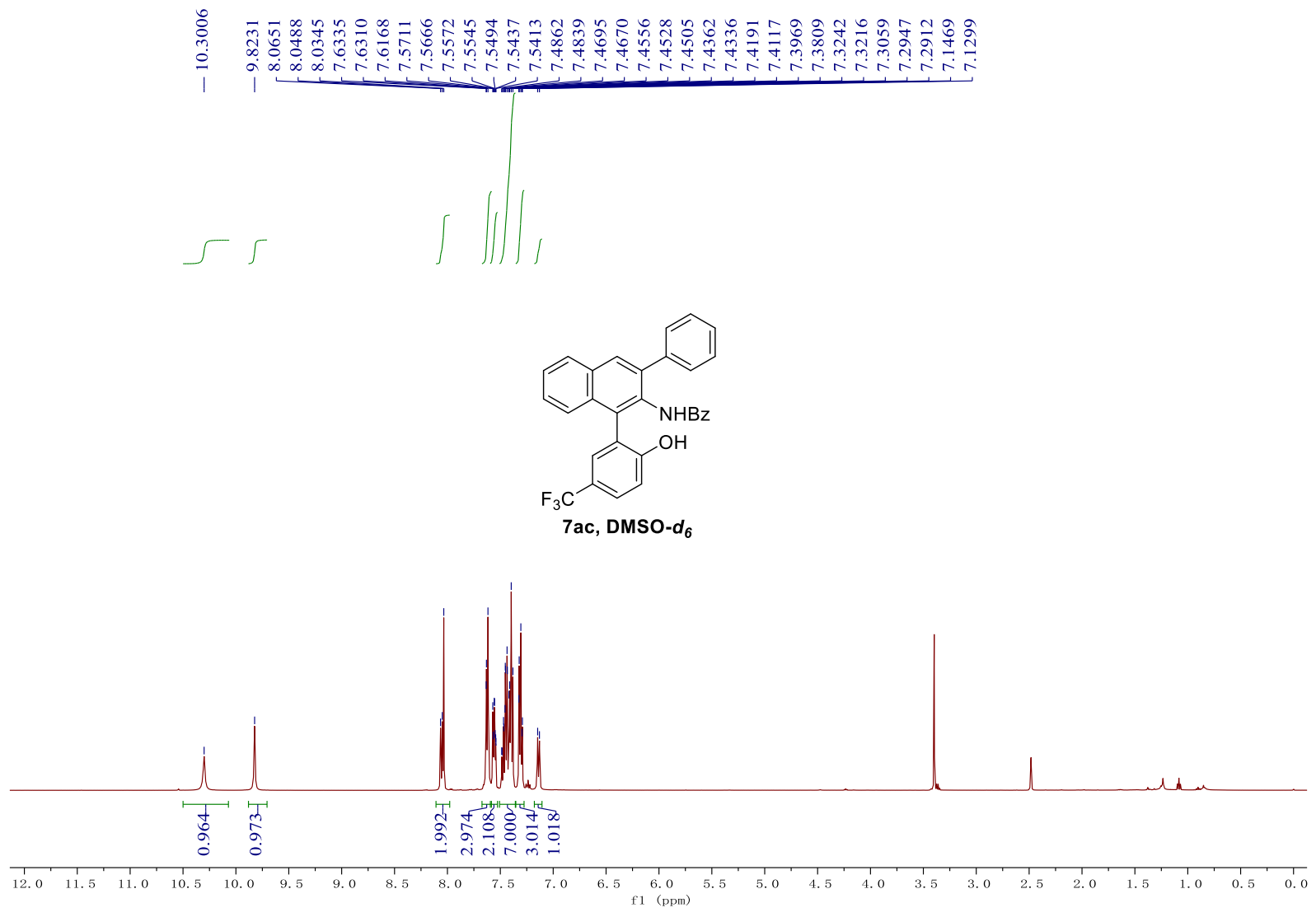


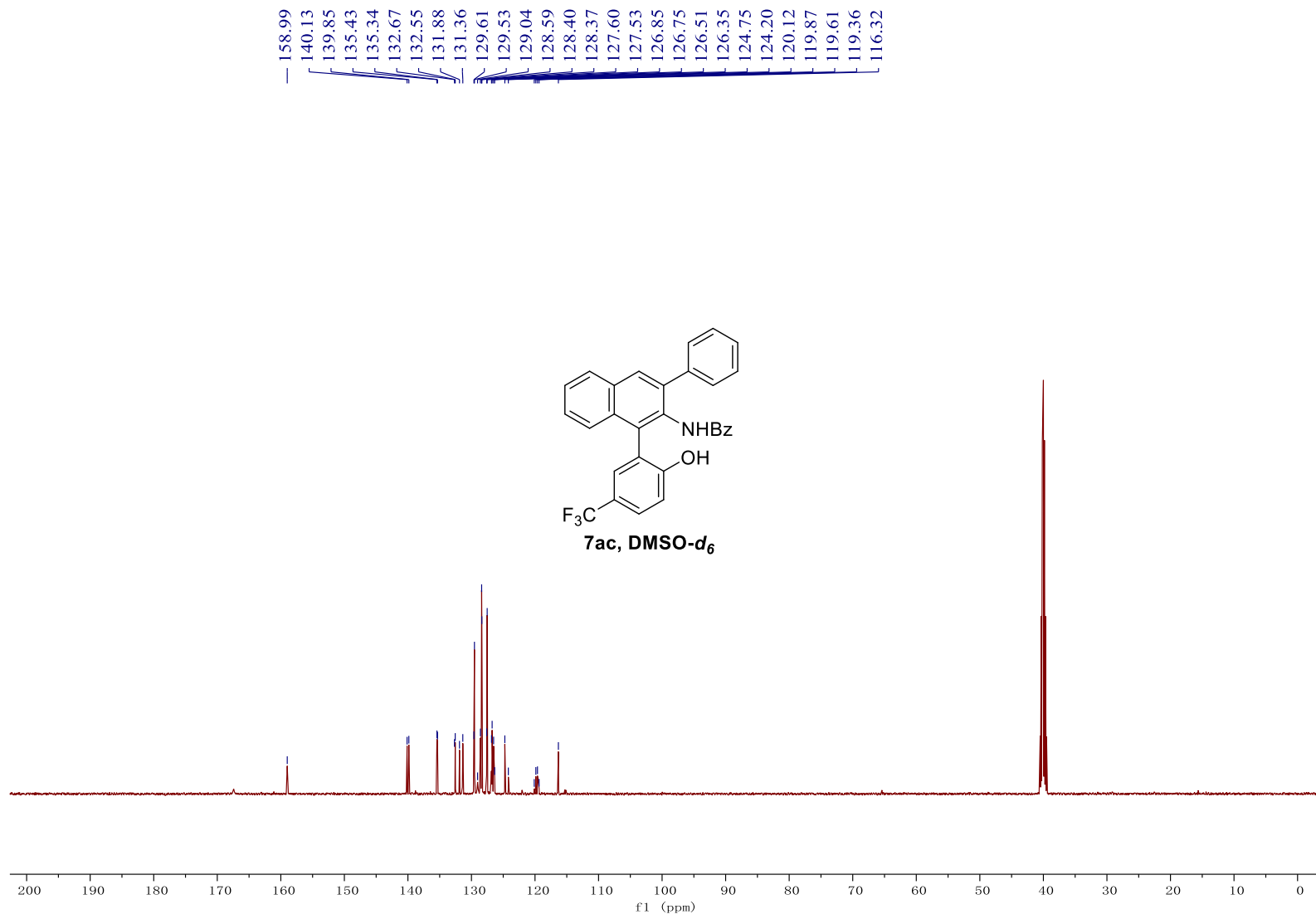


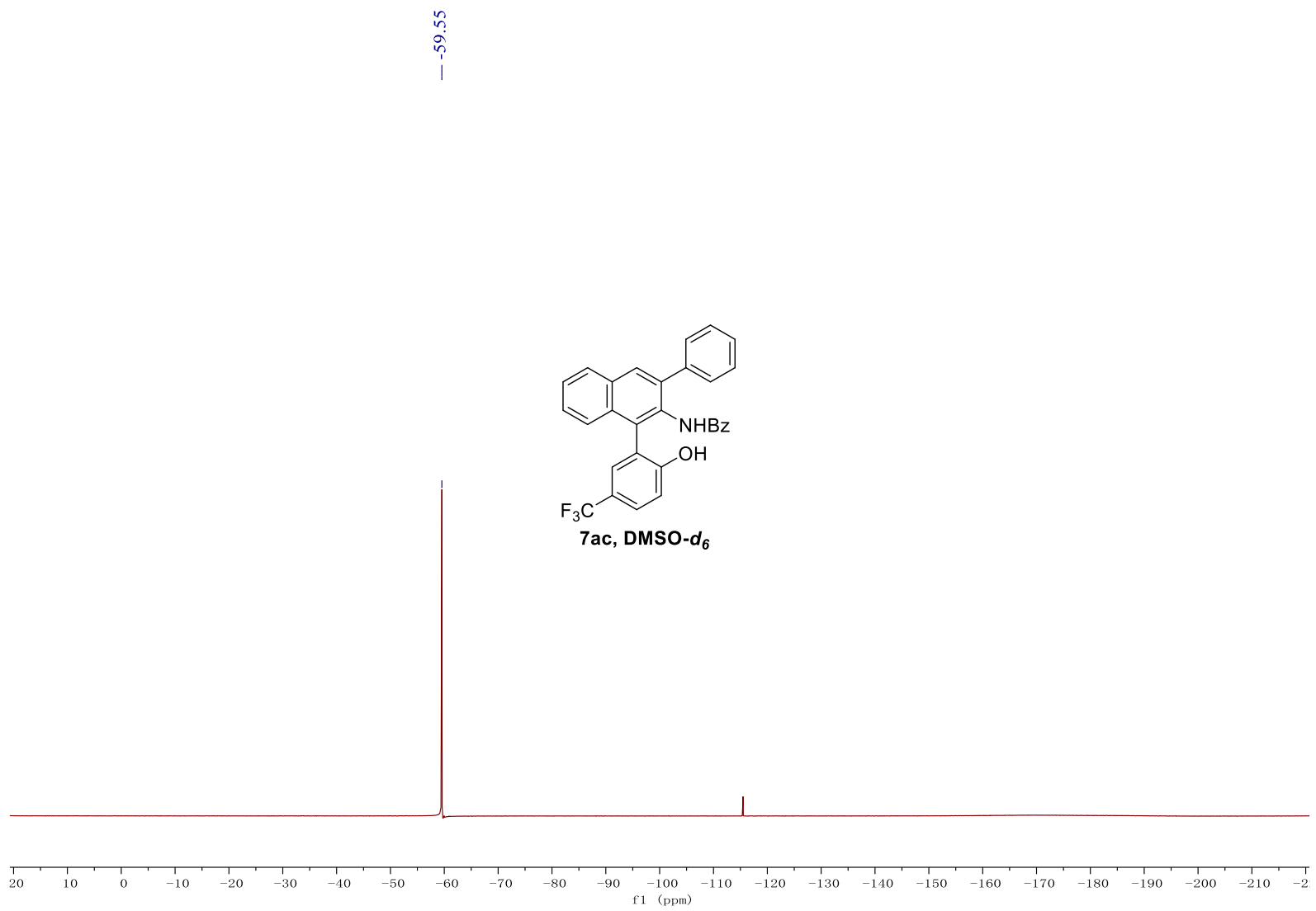
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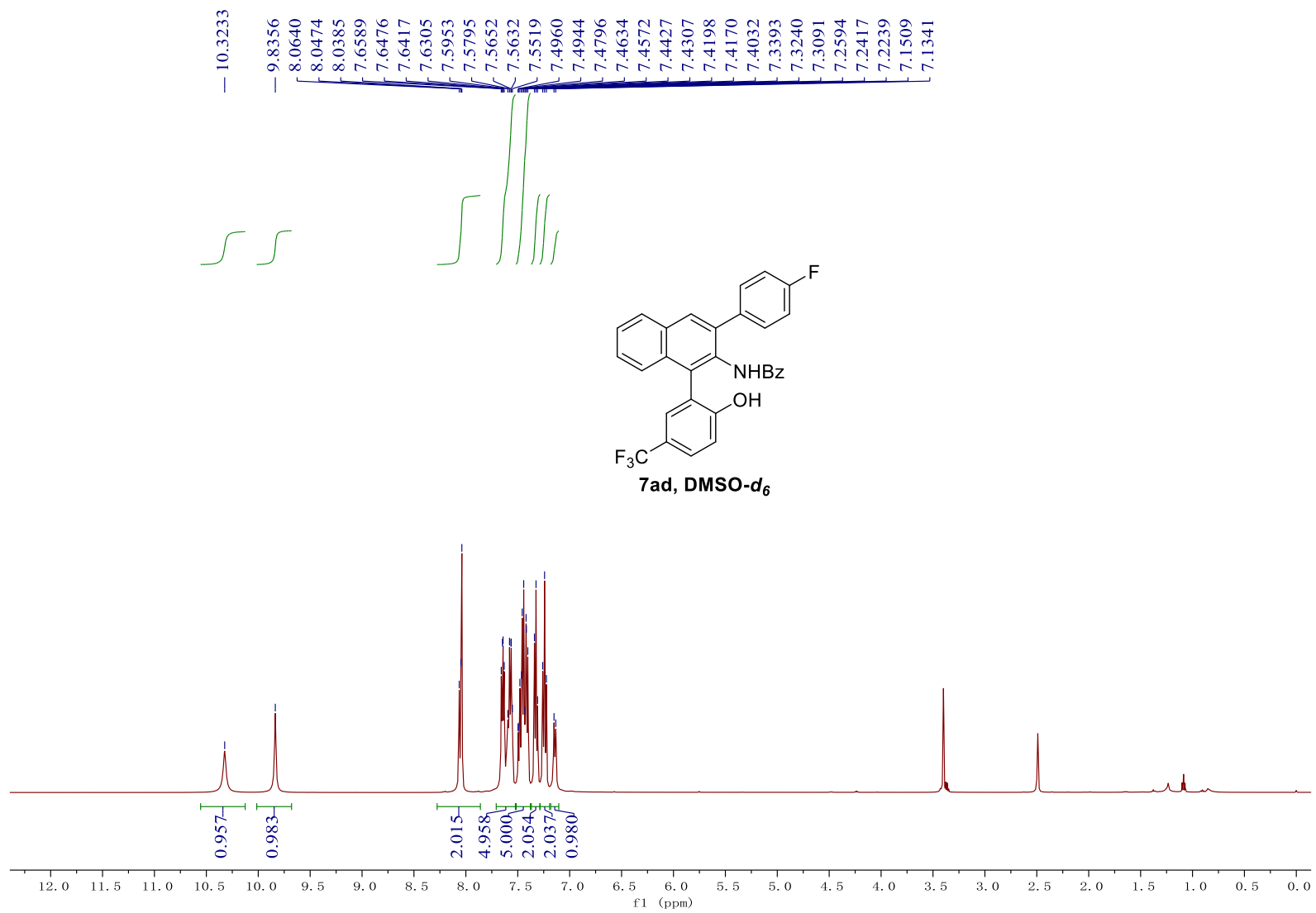


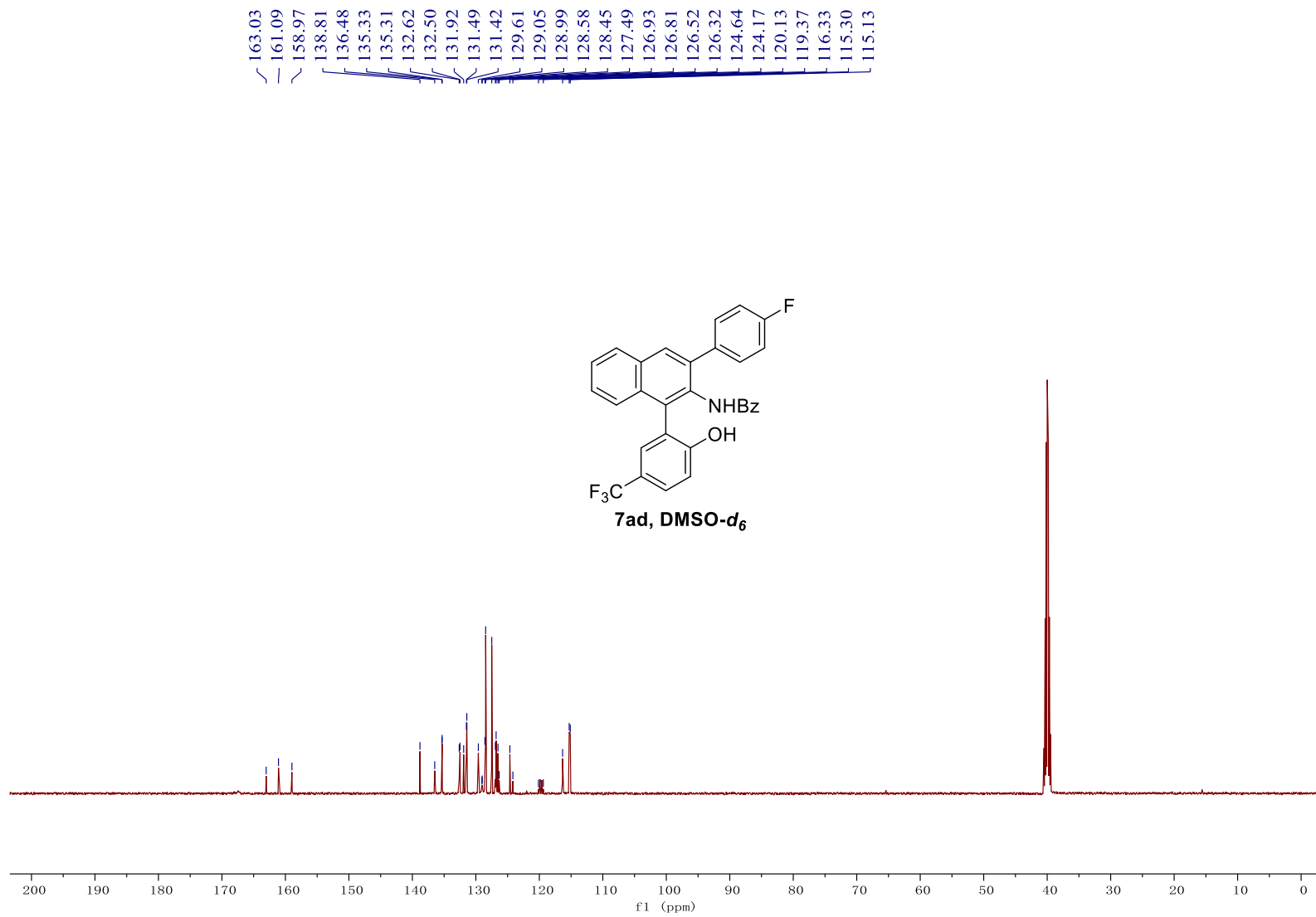






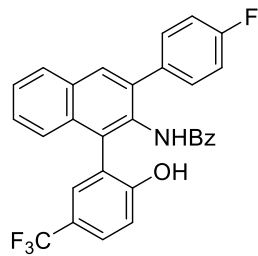




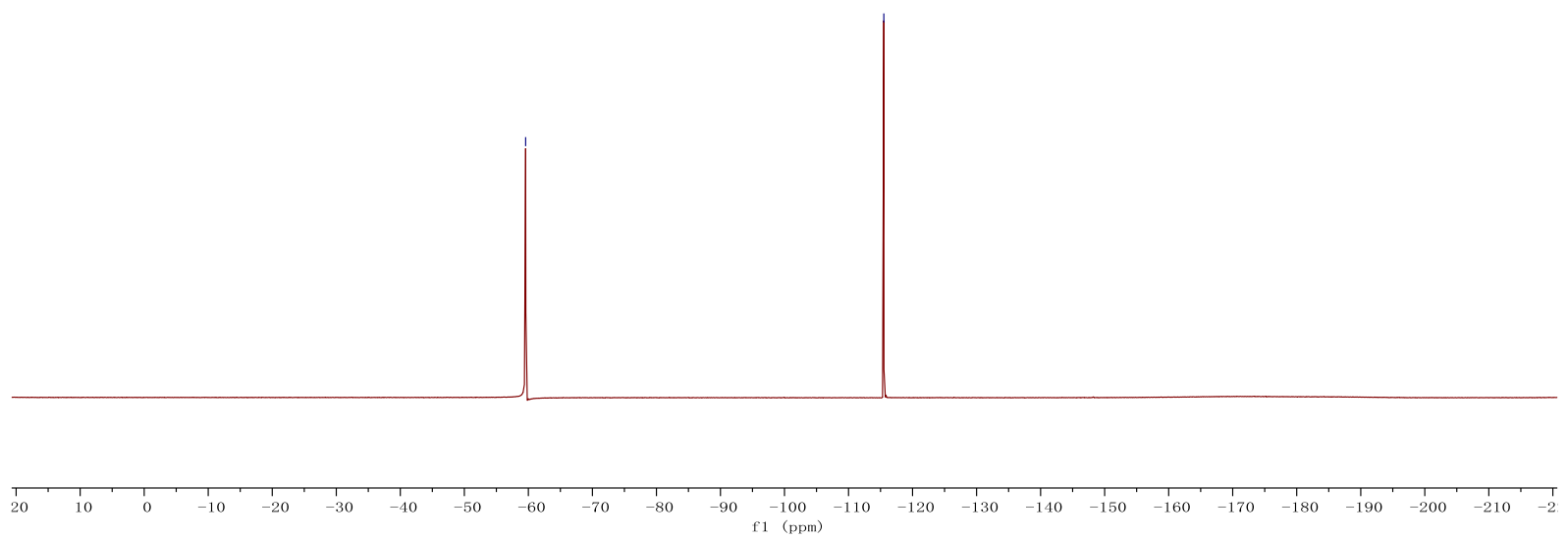


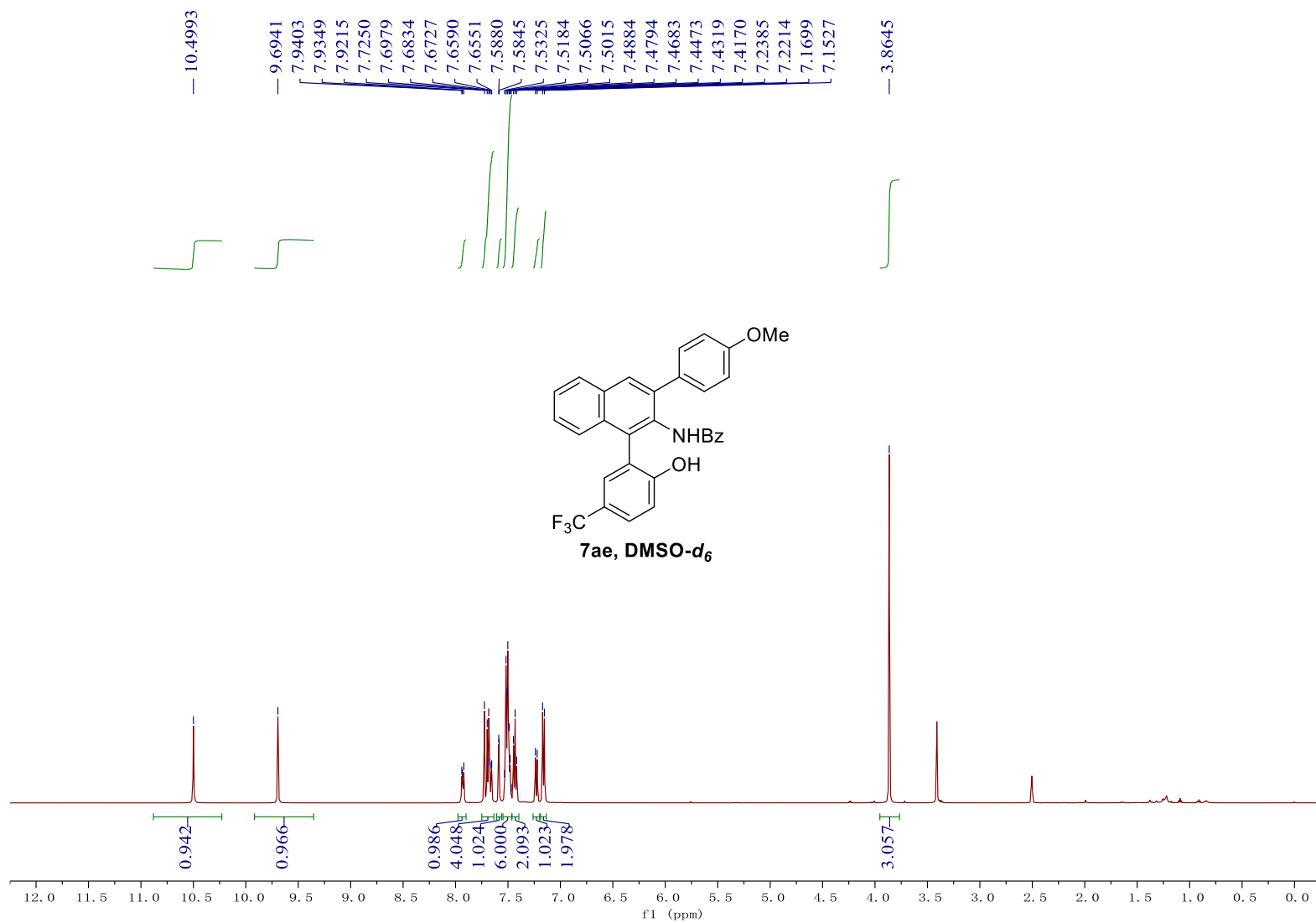
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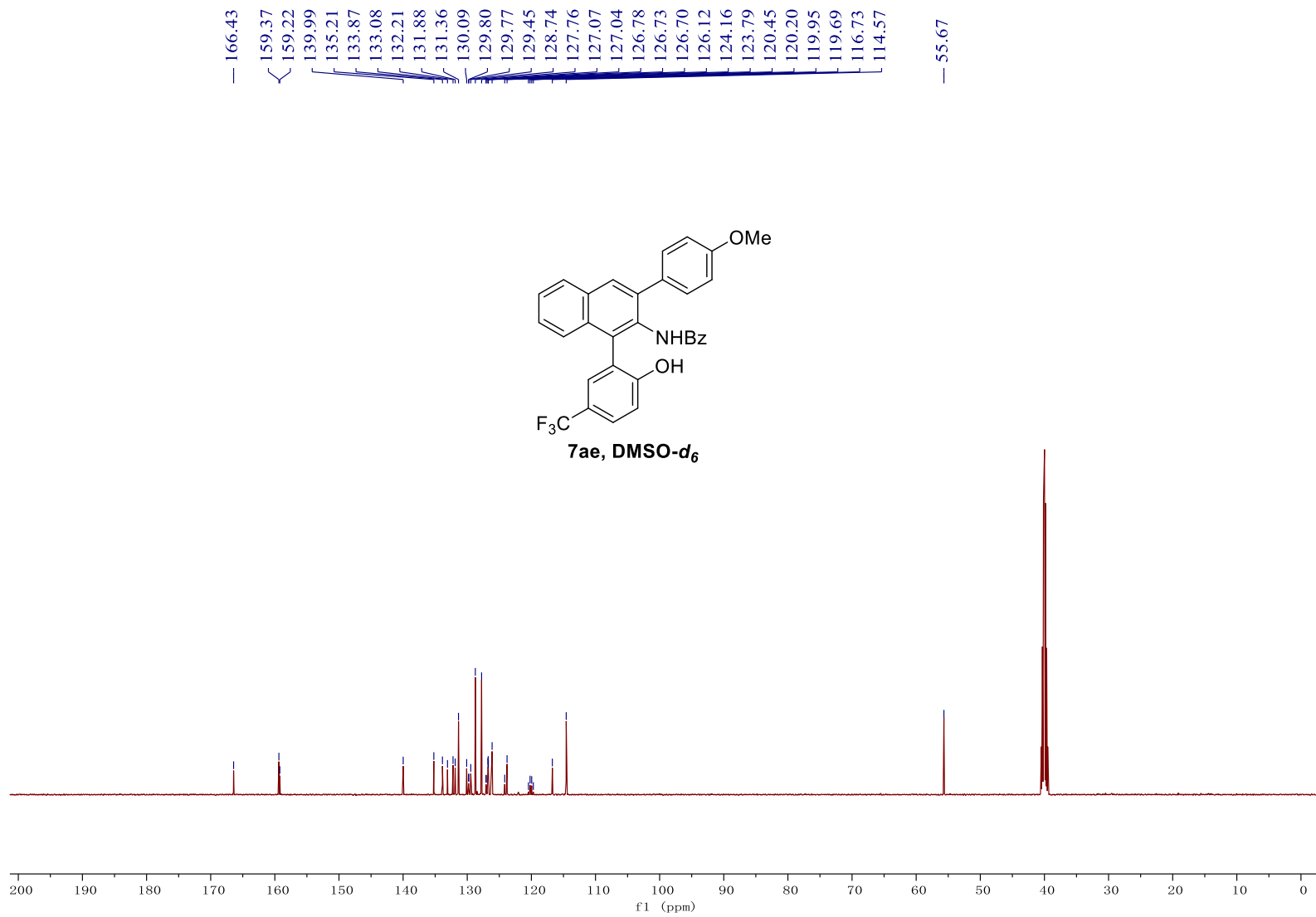
— -115.51



7ad, DMSO-d<sub>6</sub>

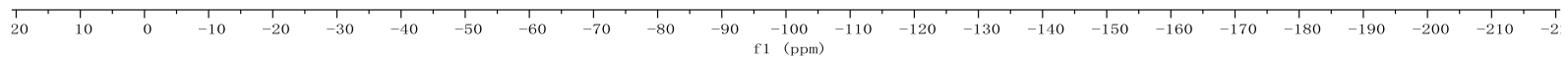
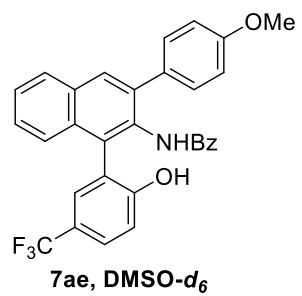


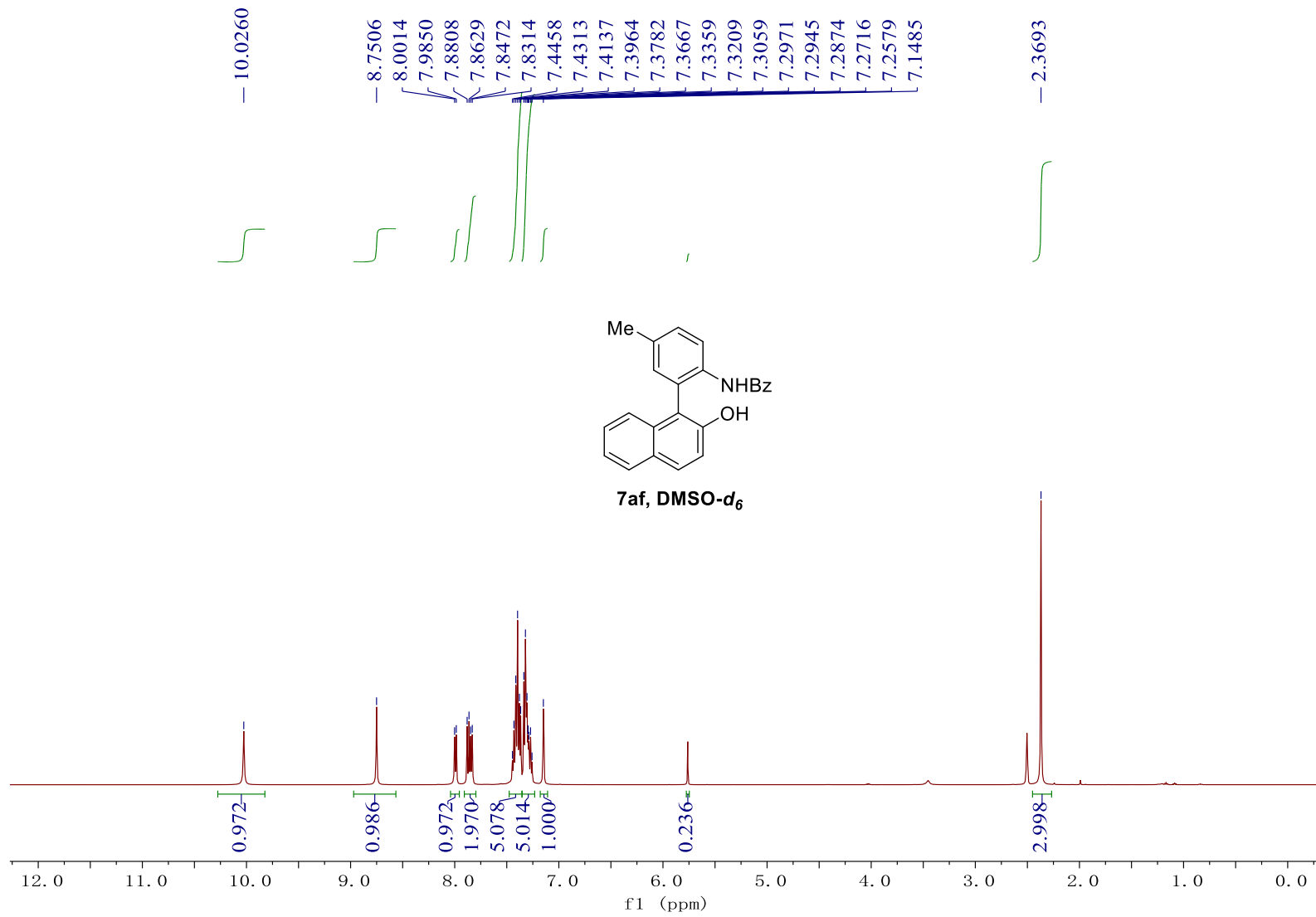


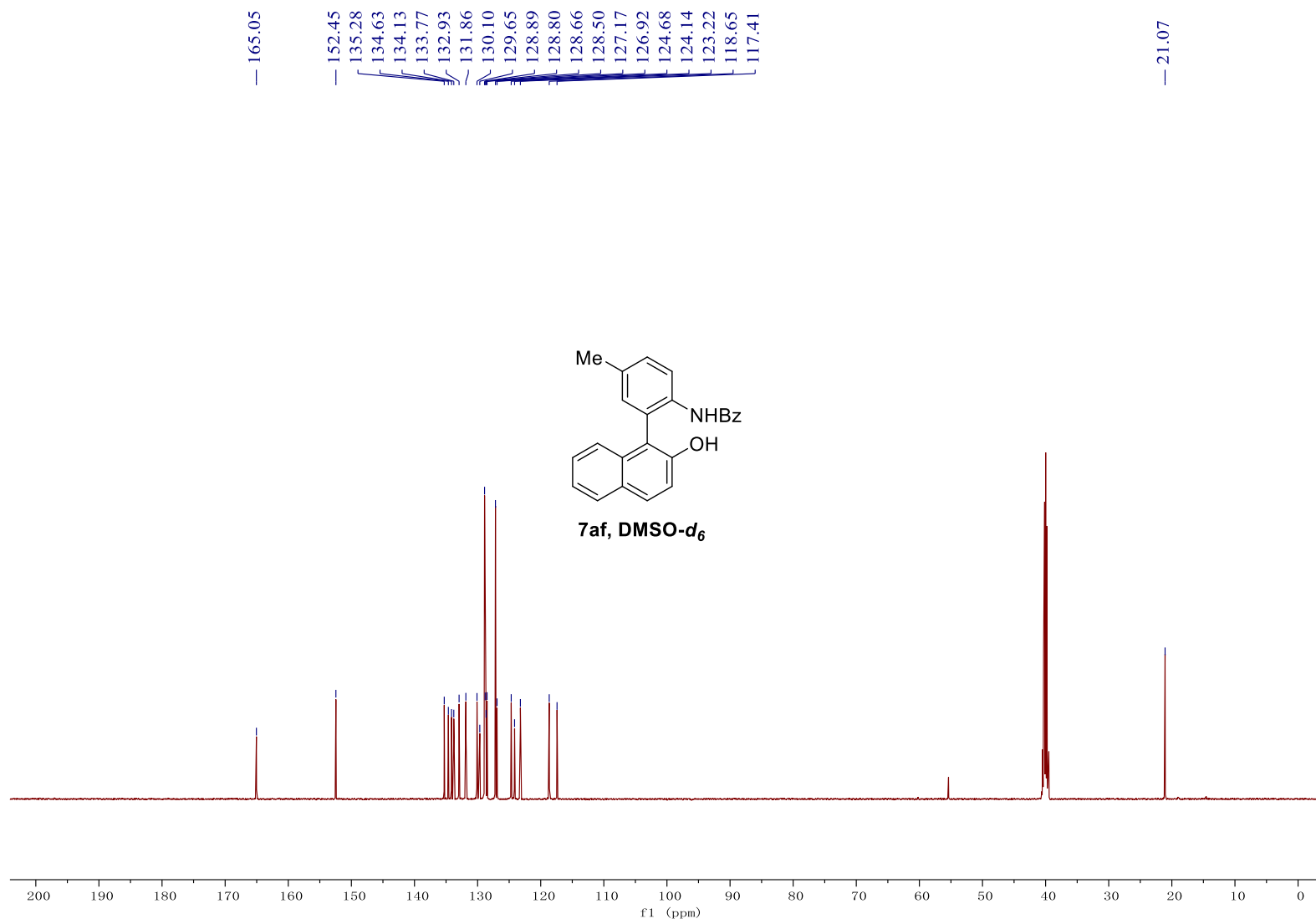


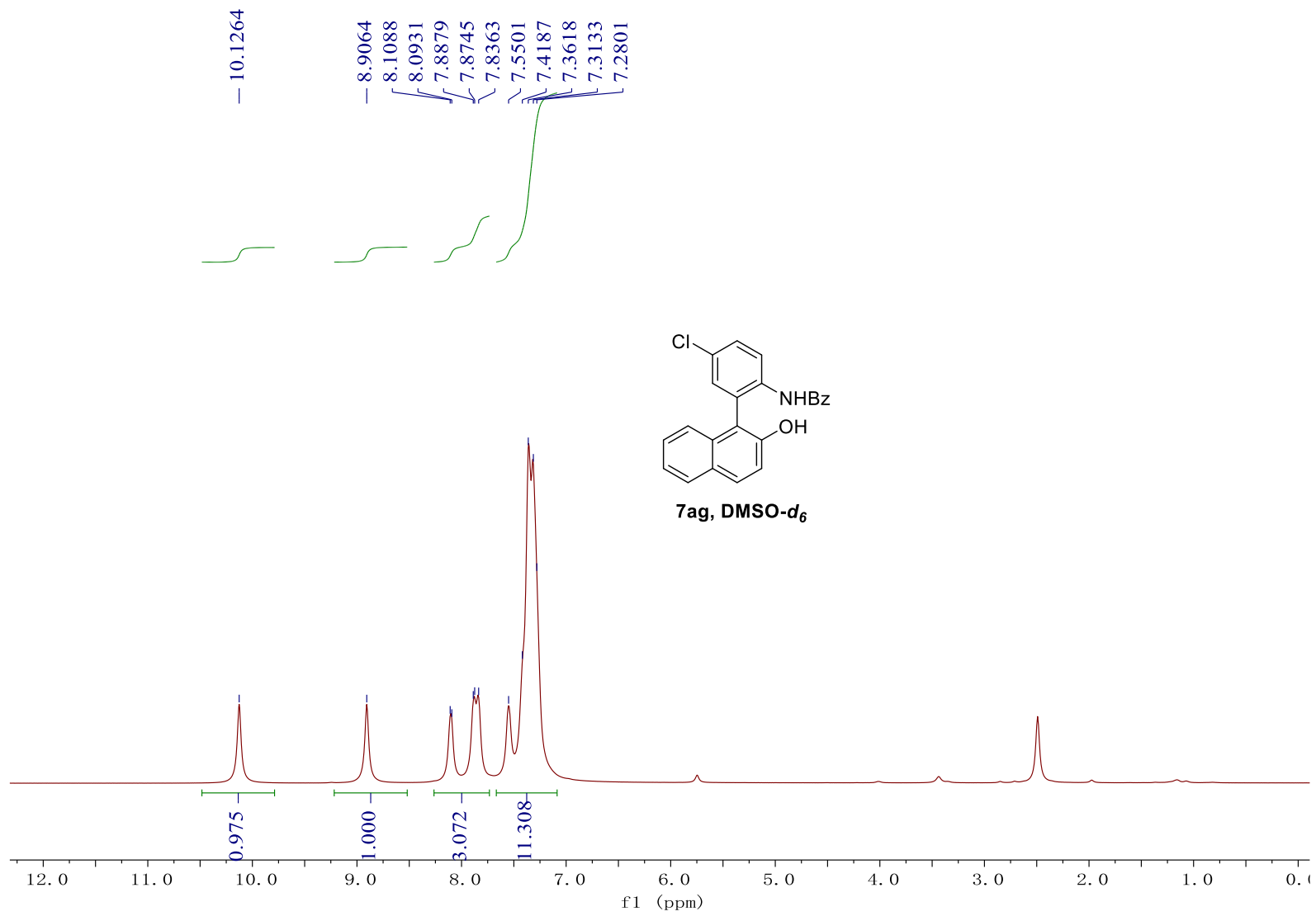


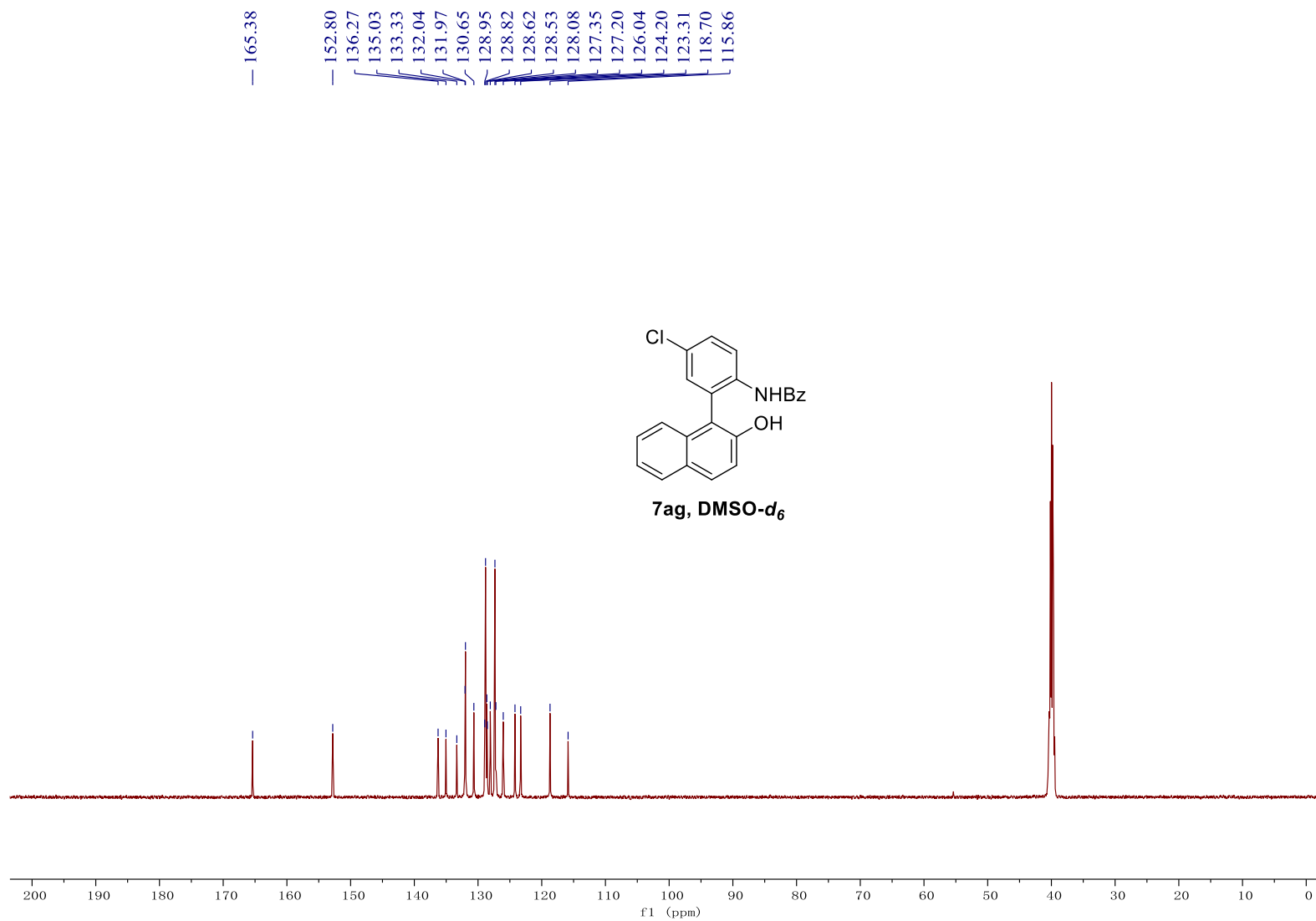
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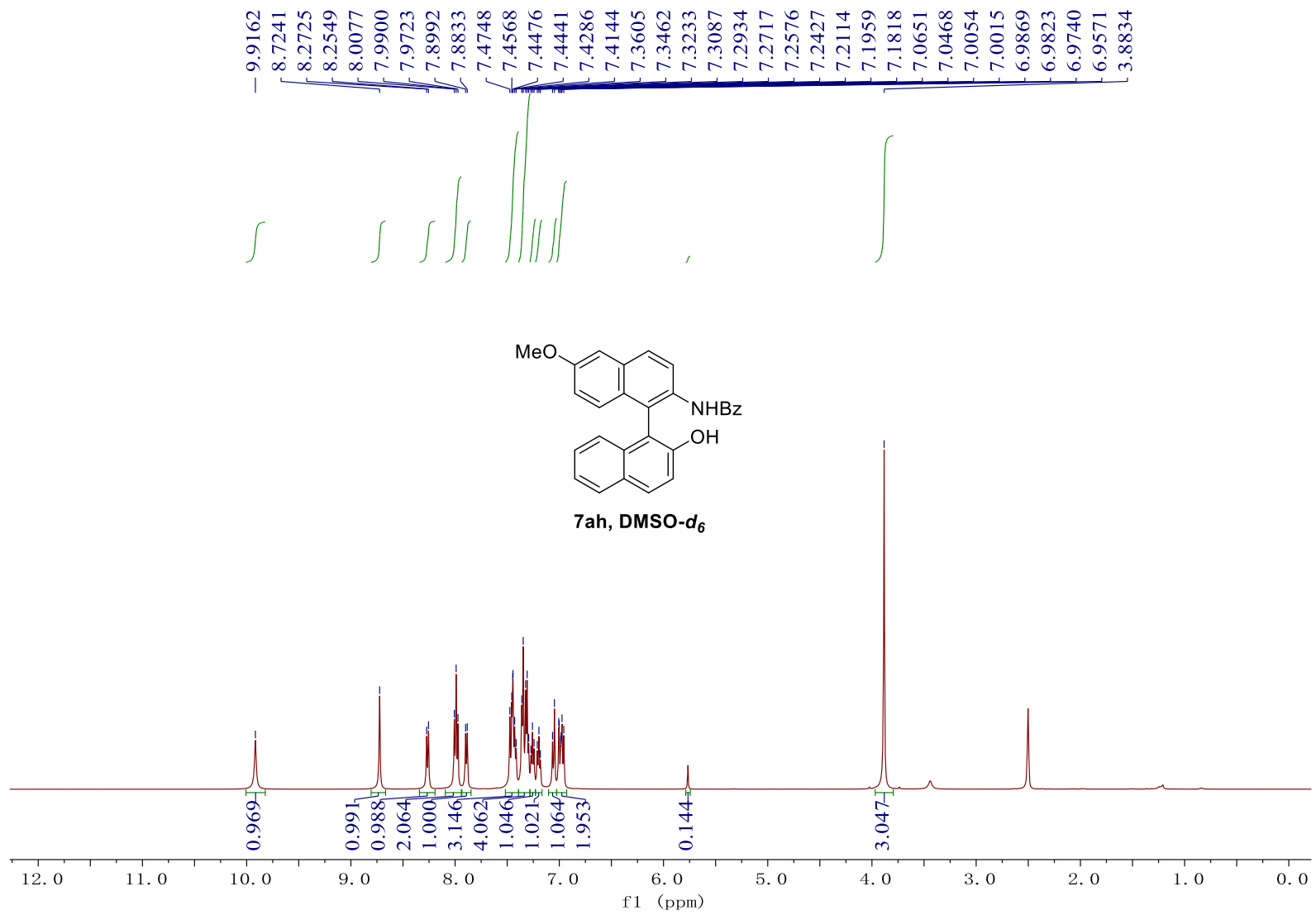


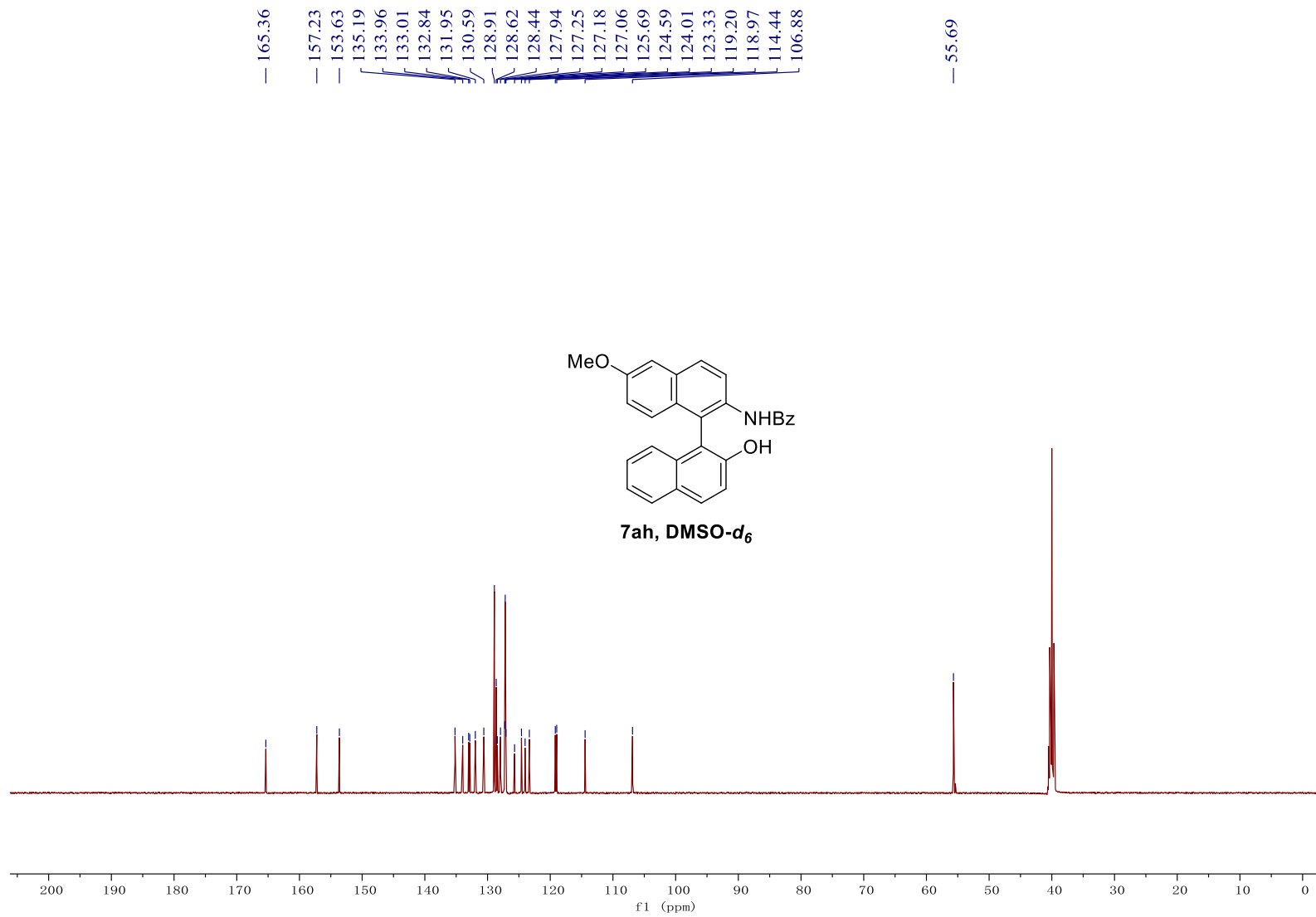


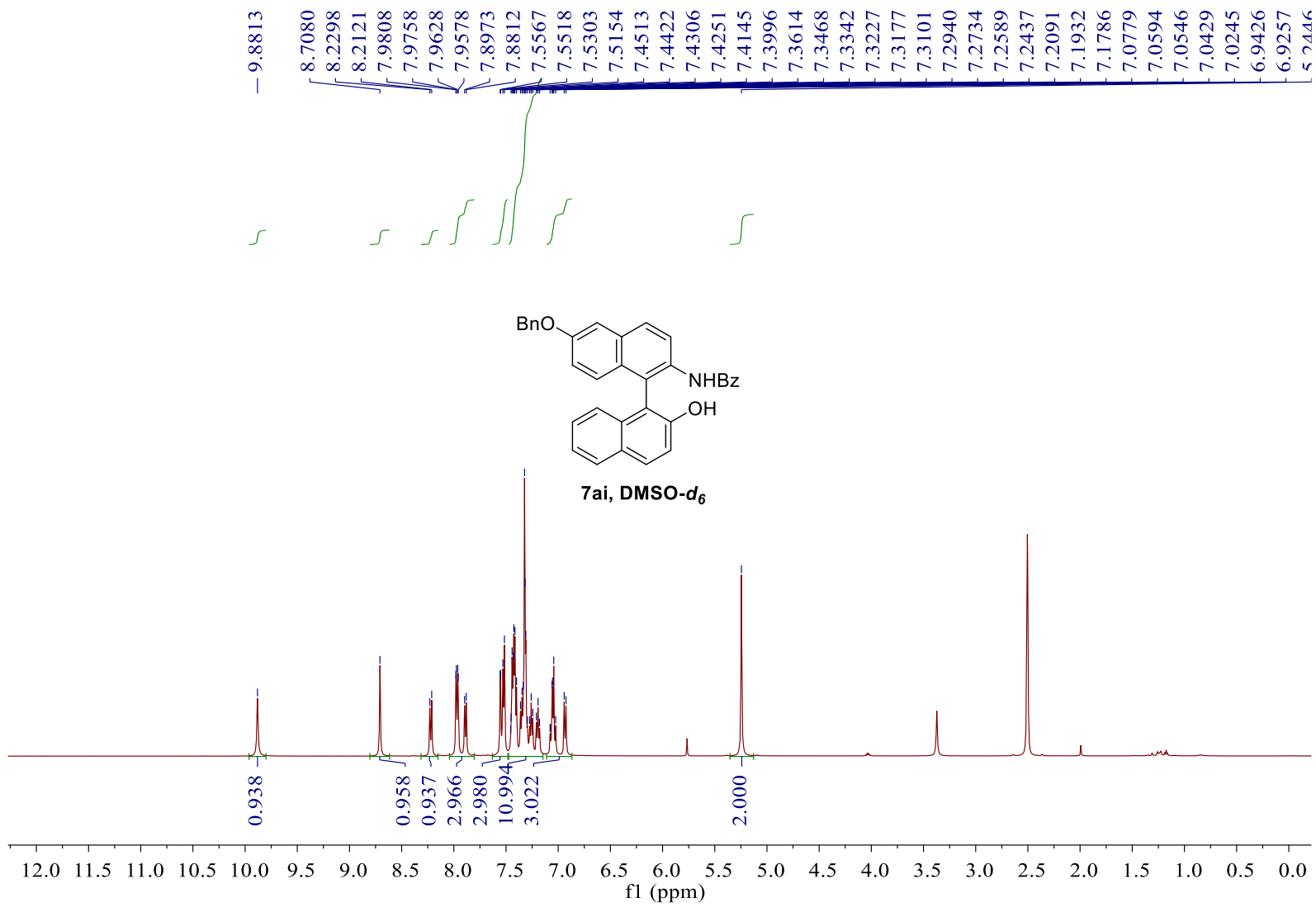




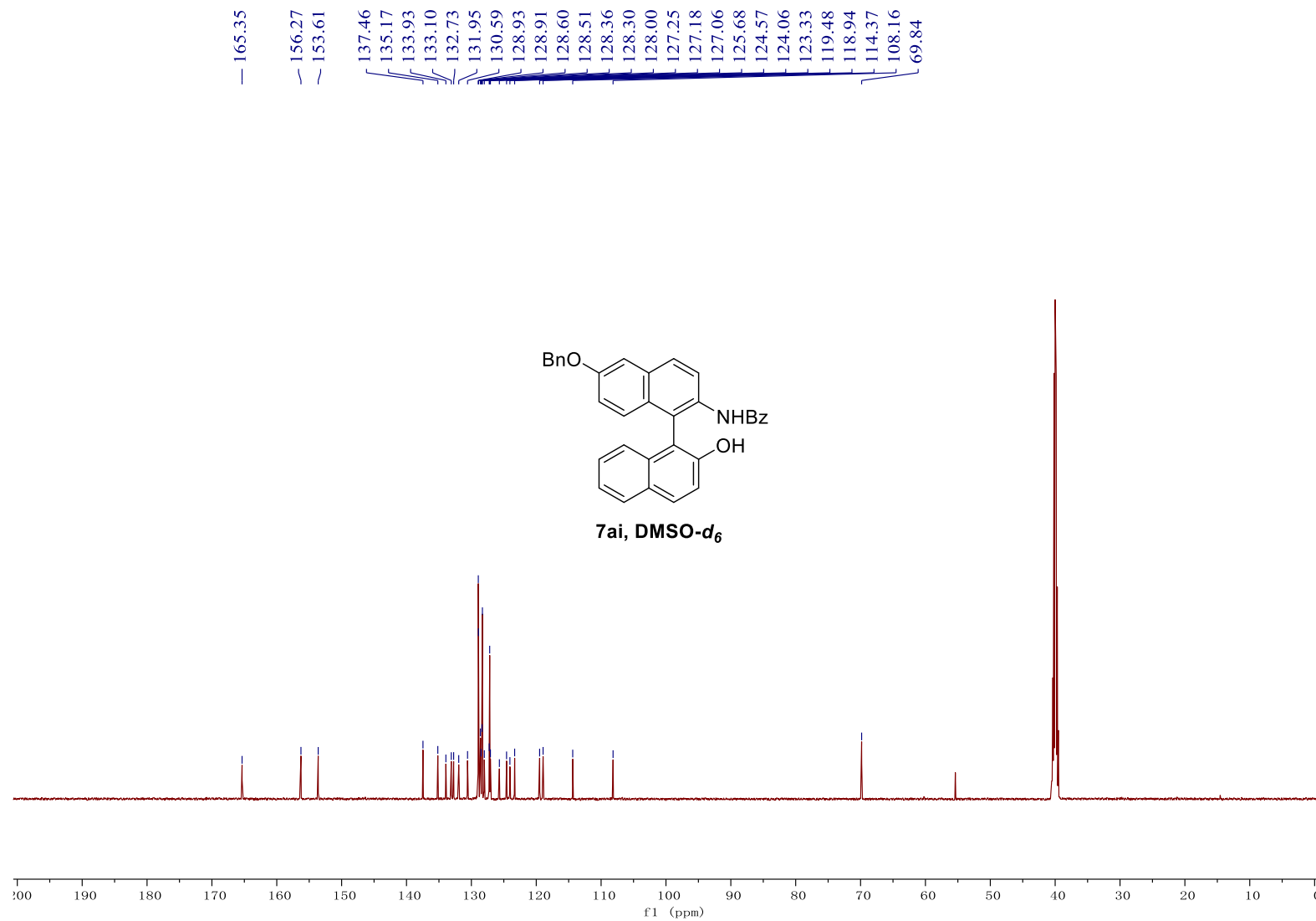


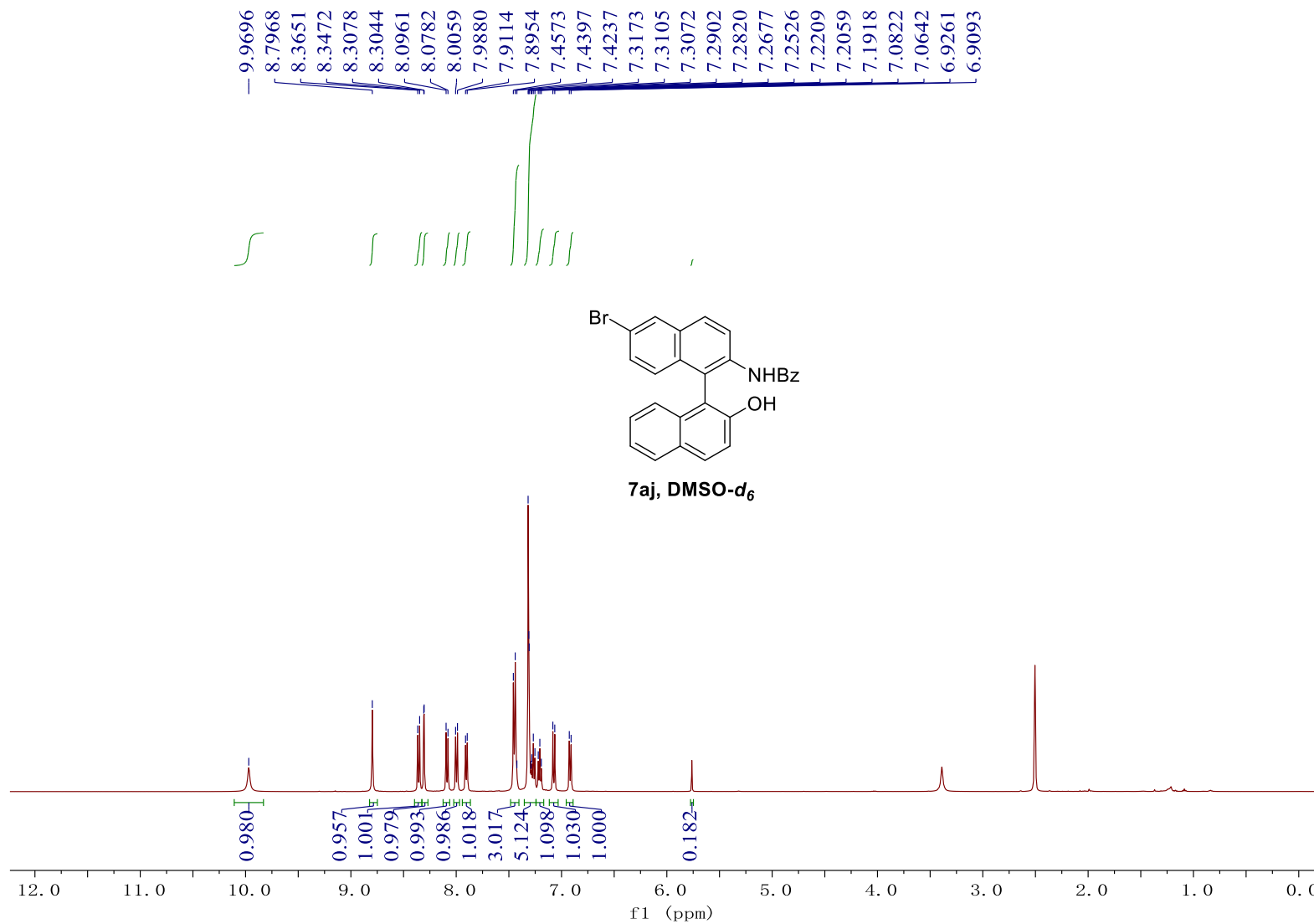


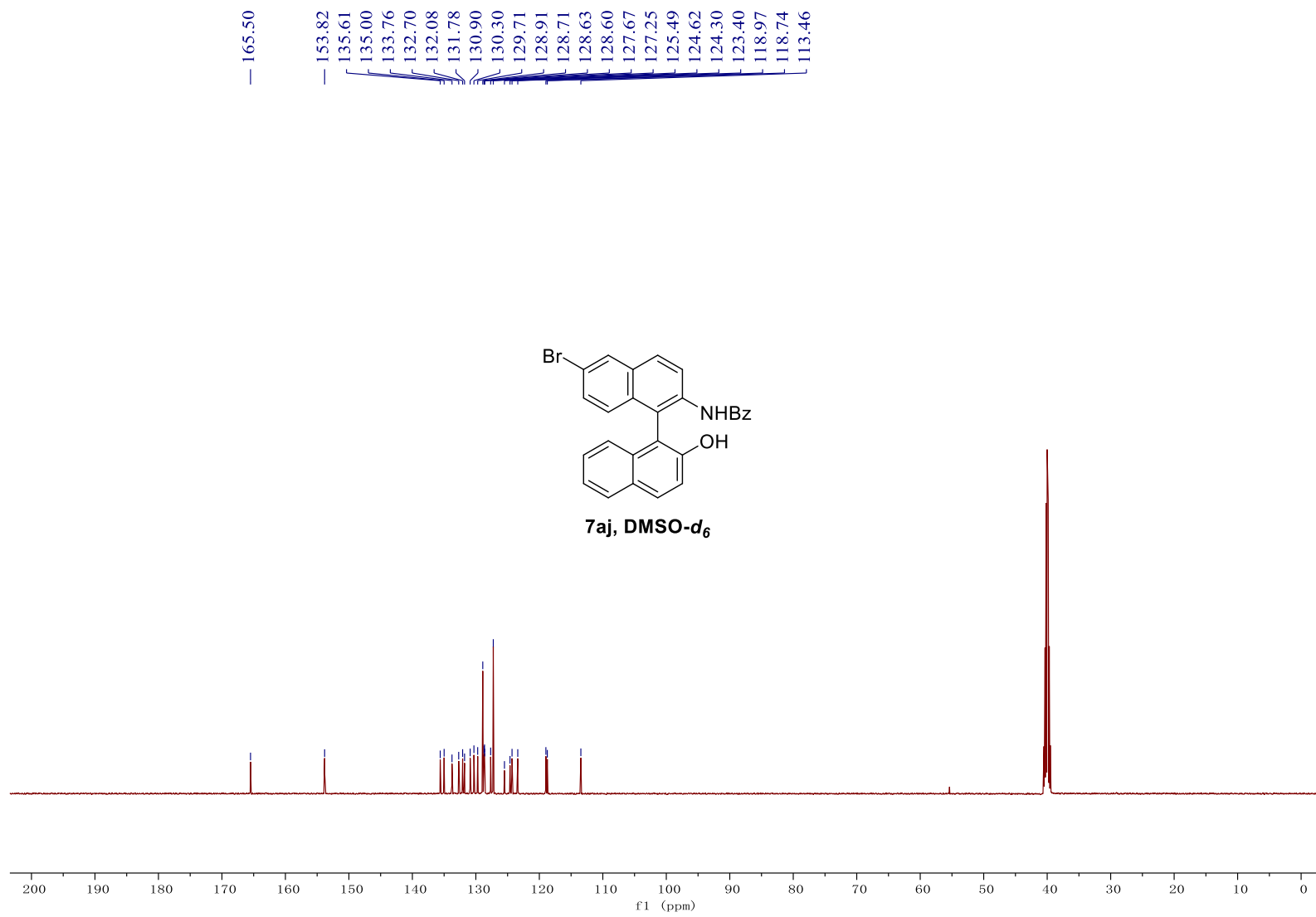


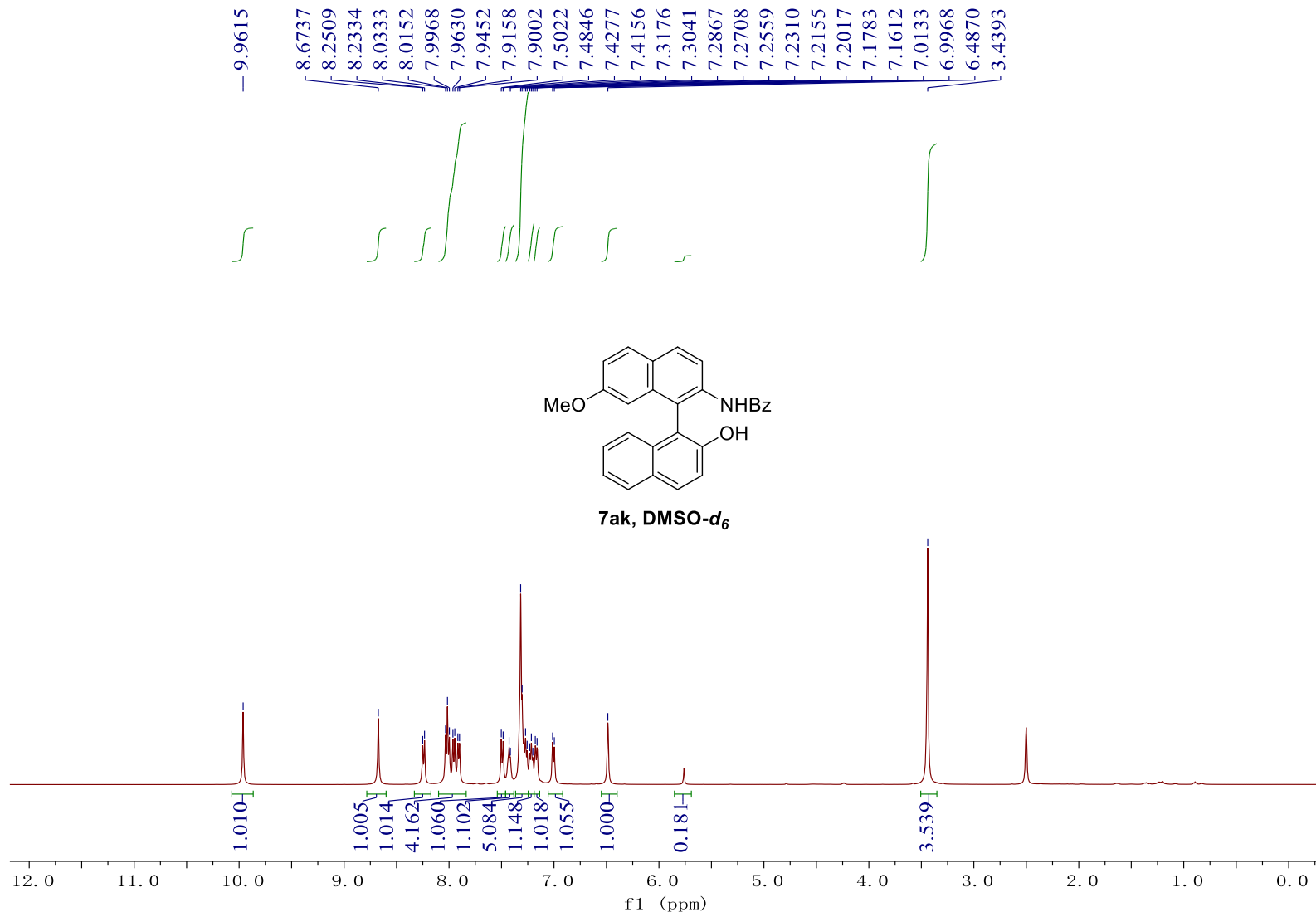


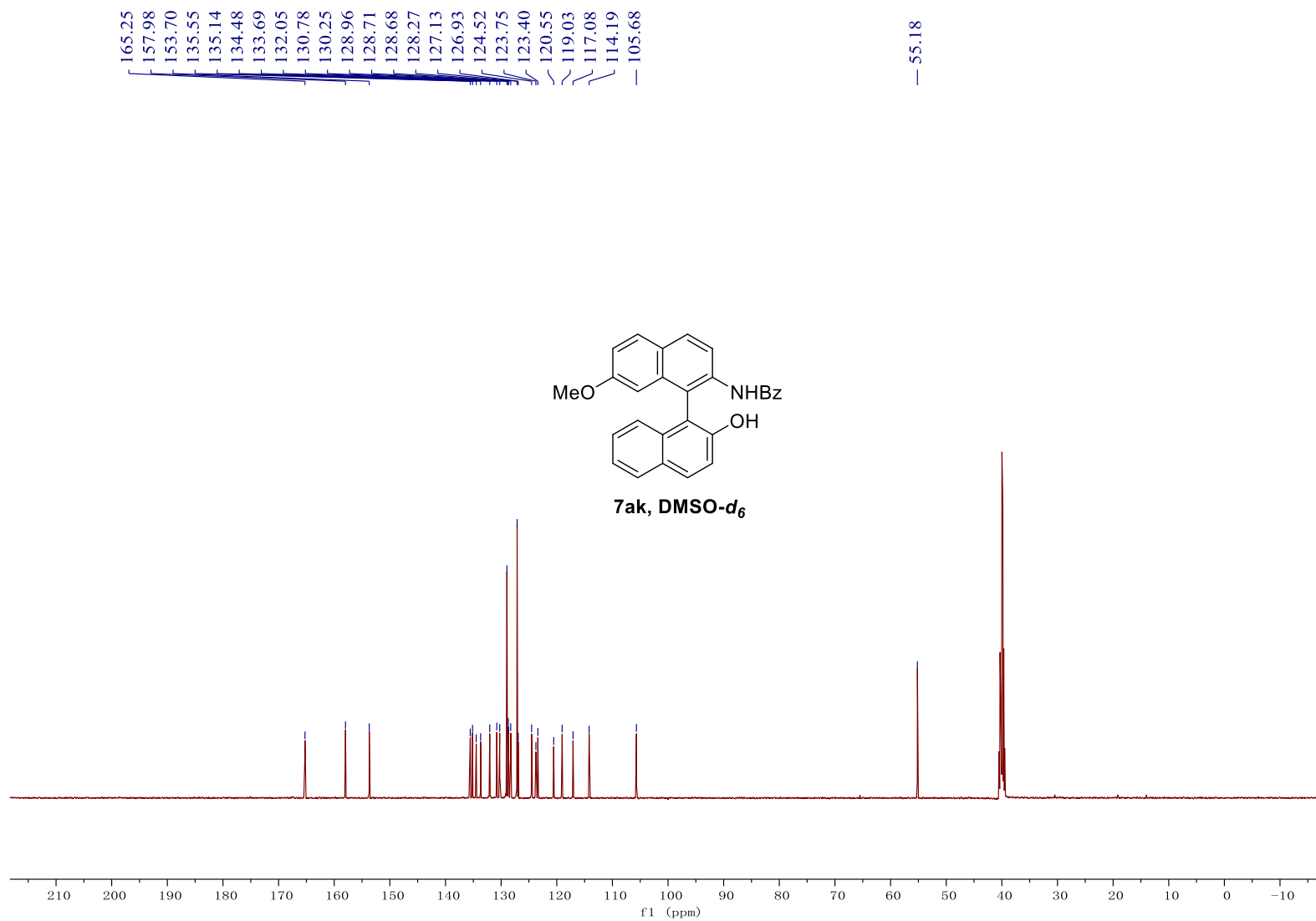


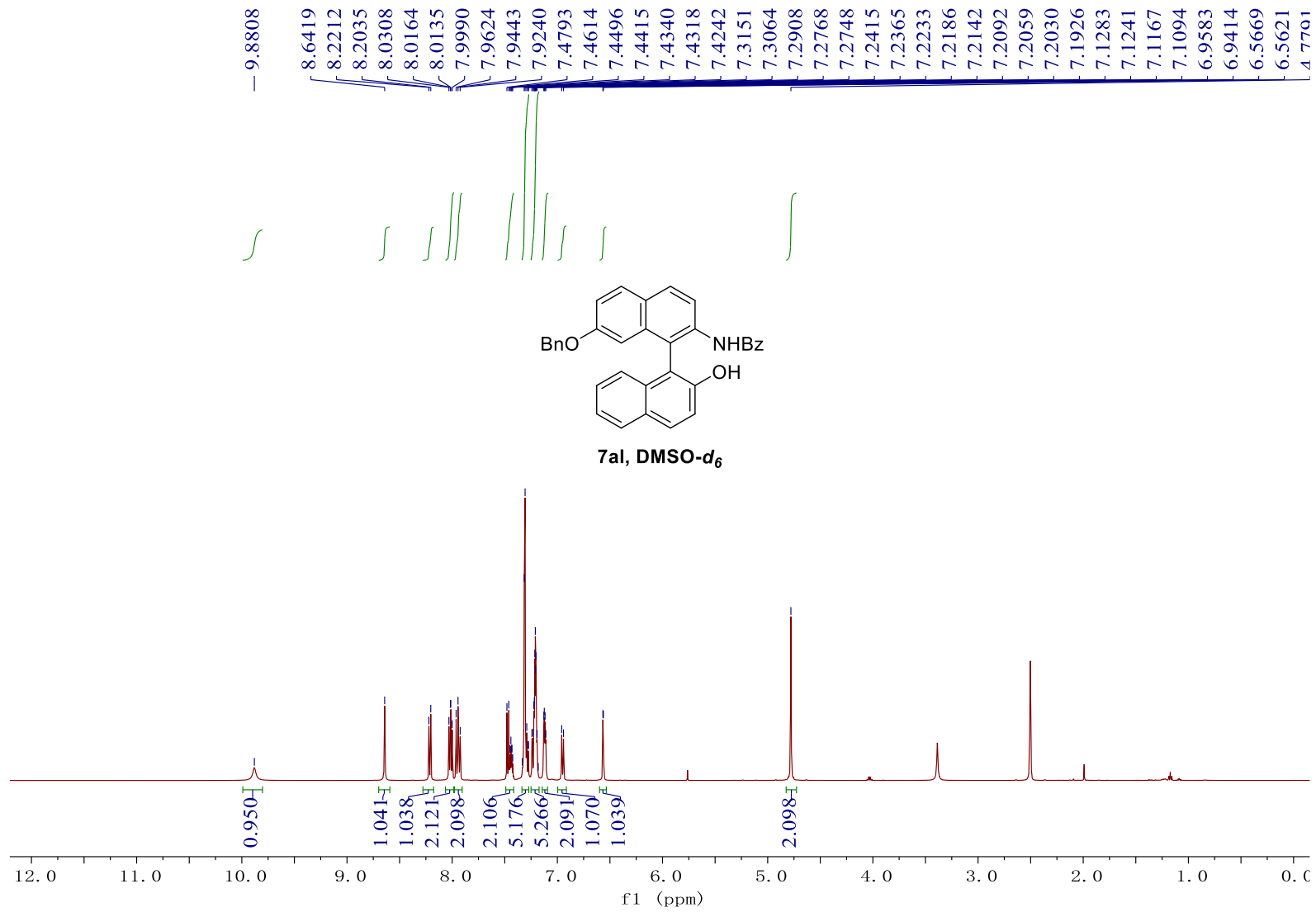


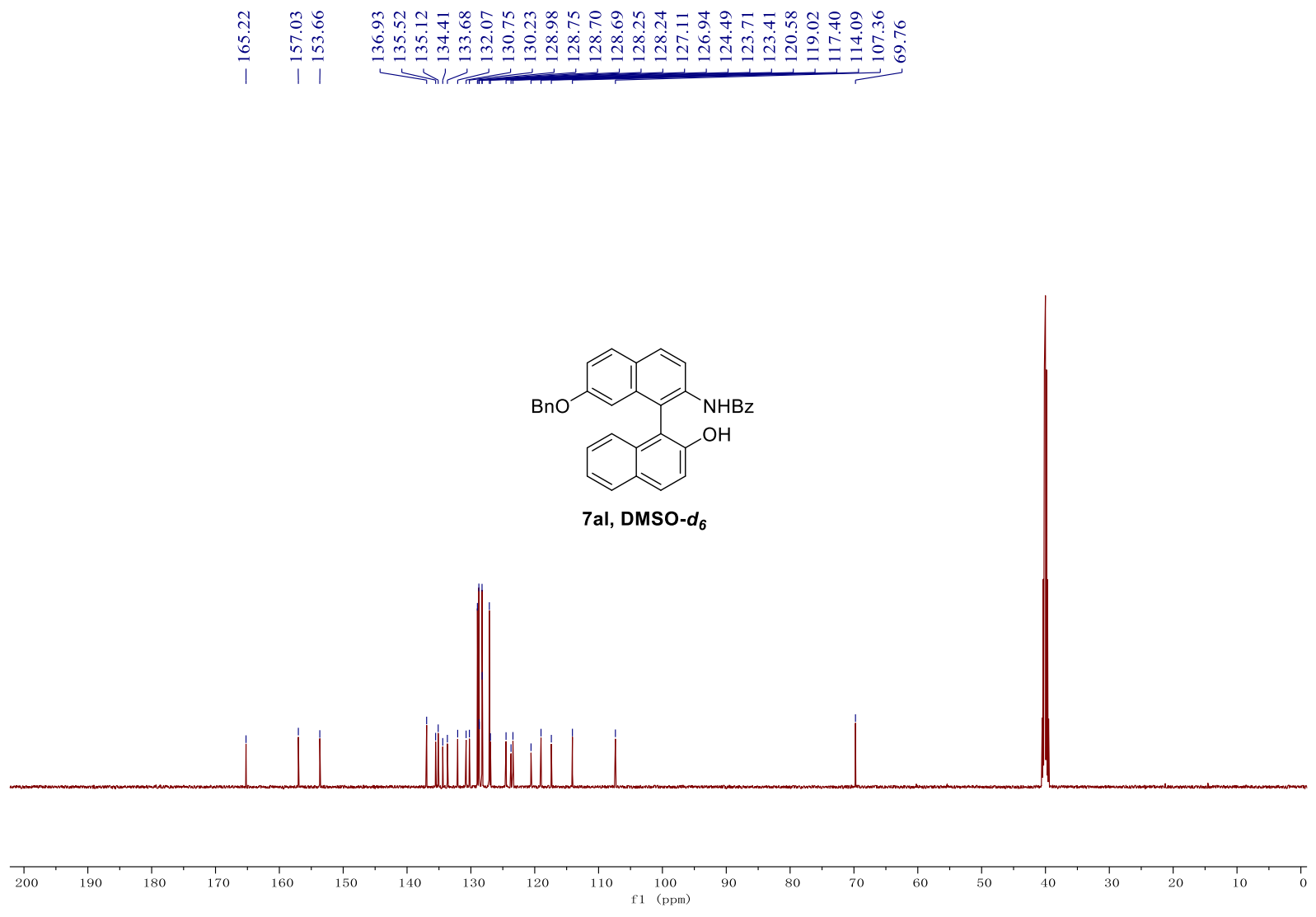


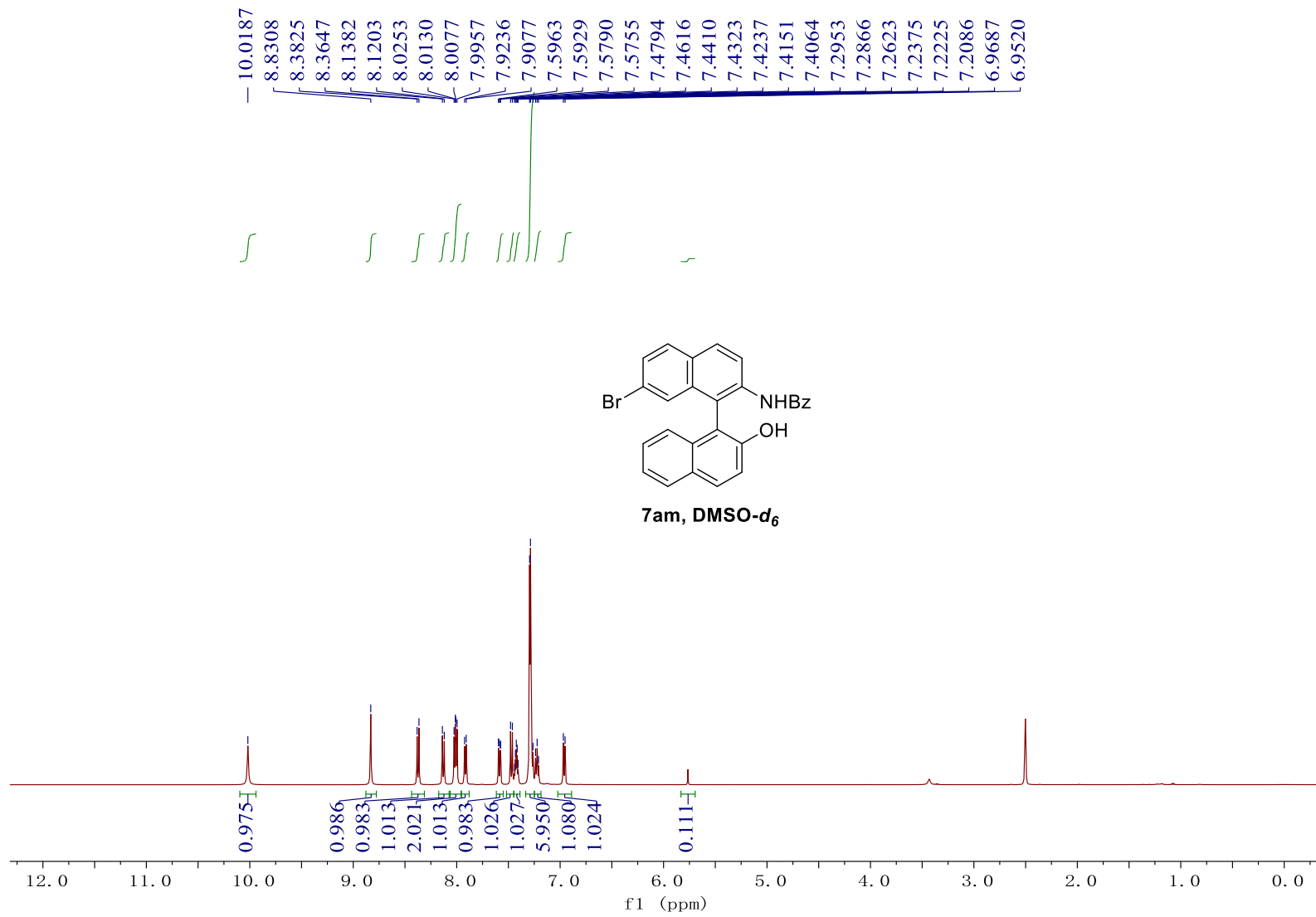




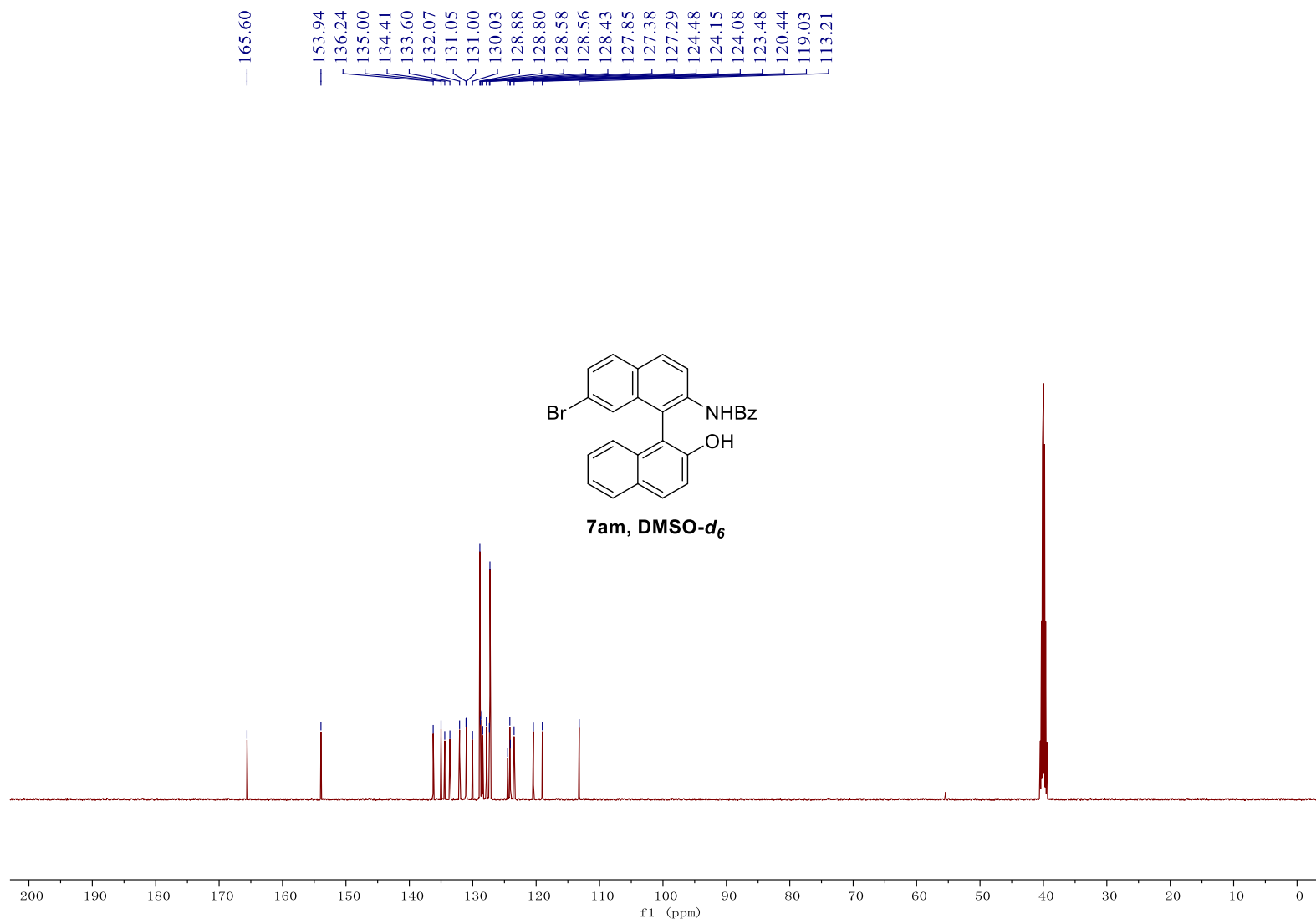


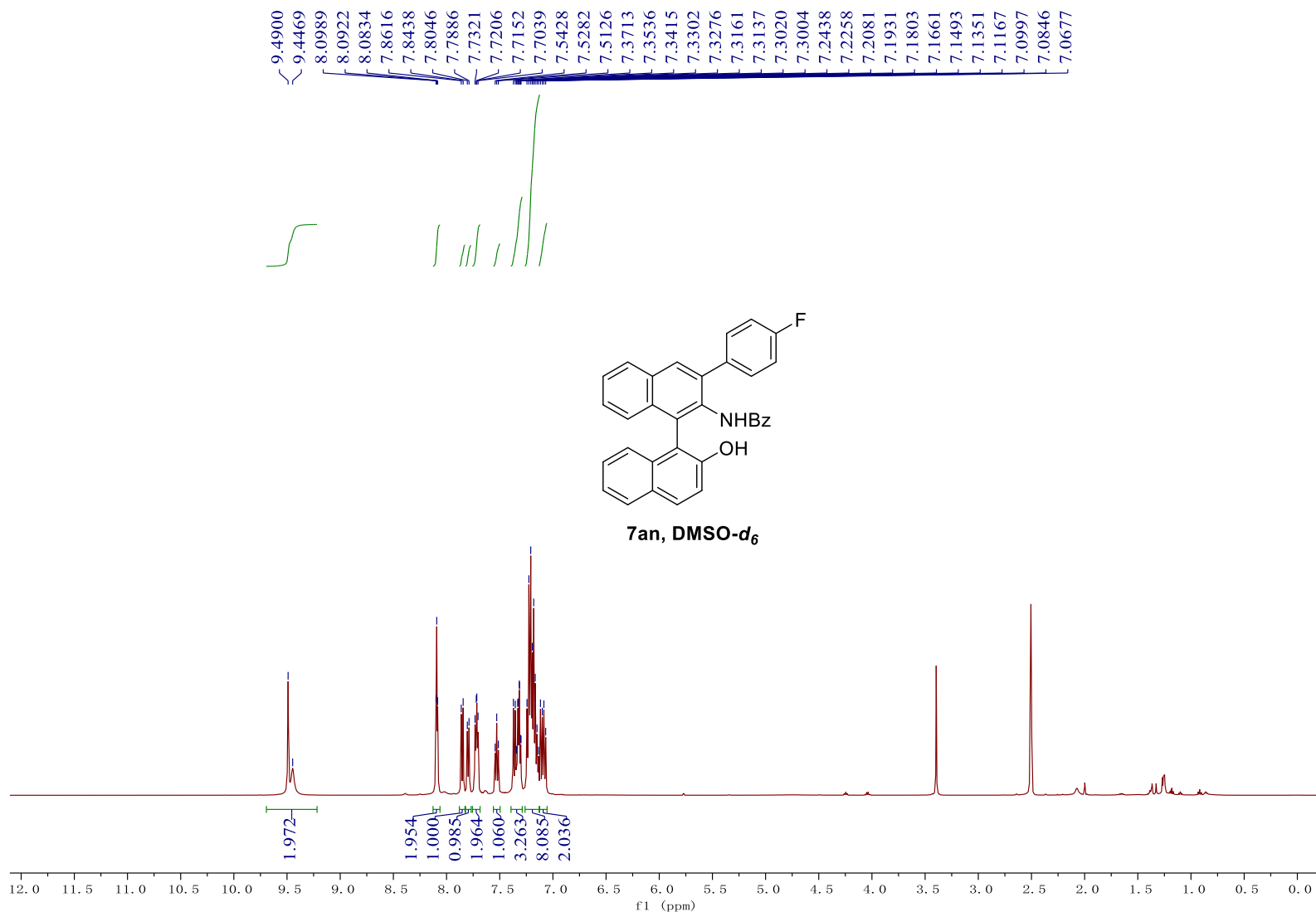


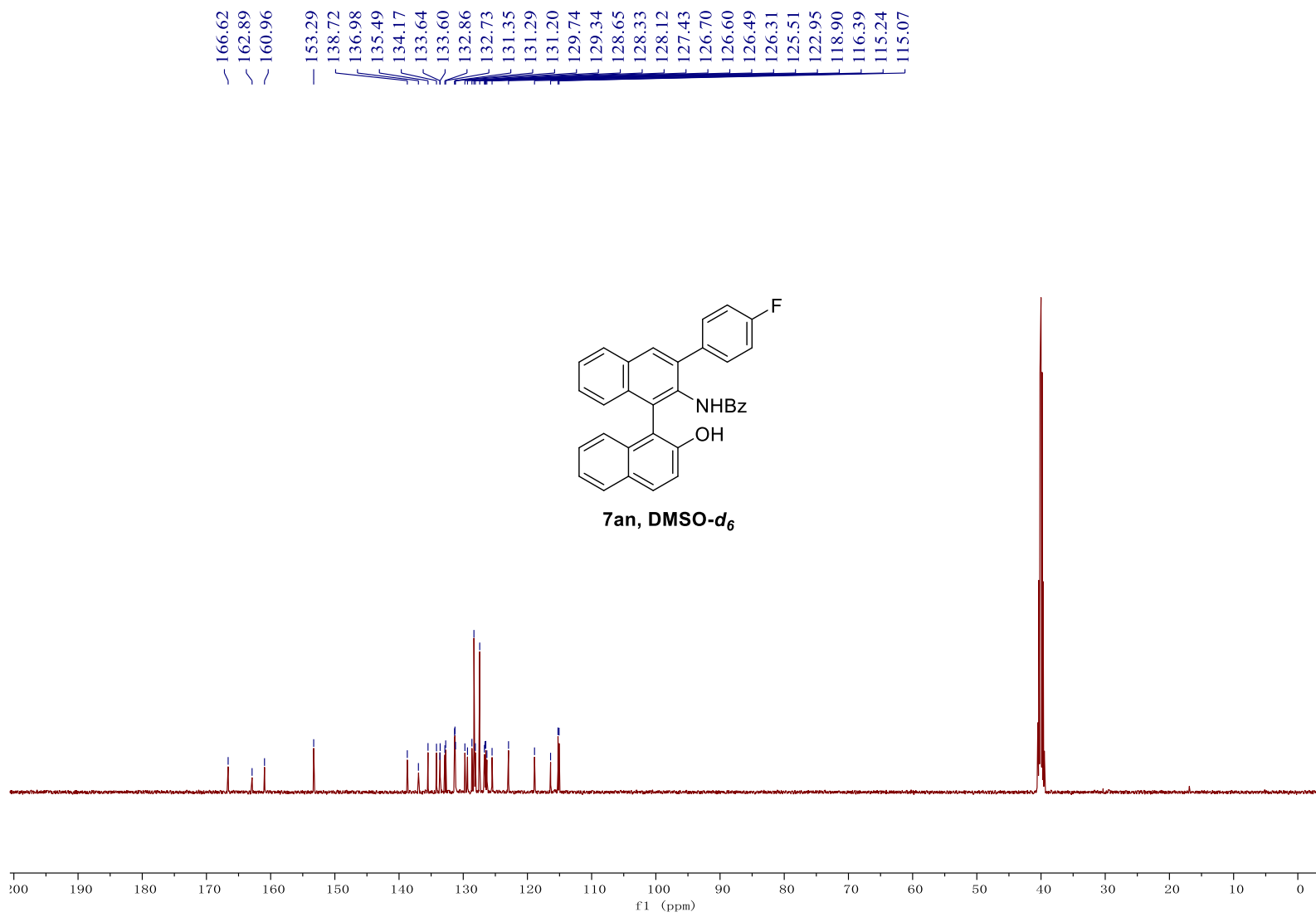


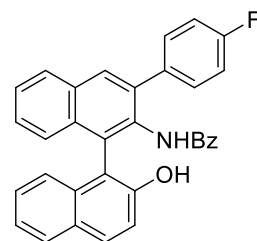






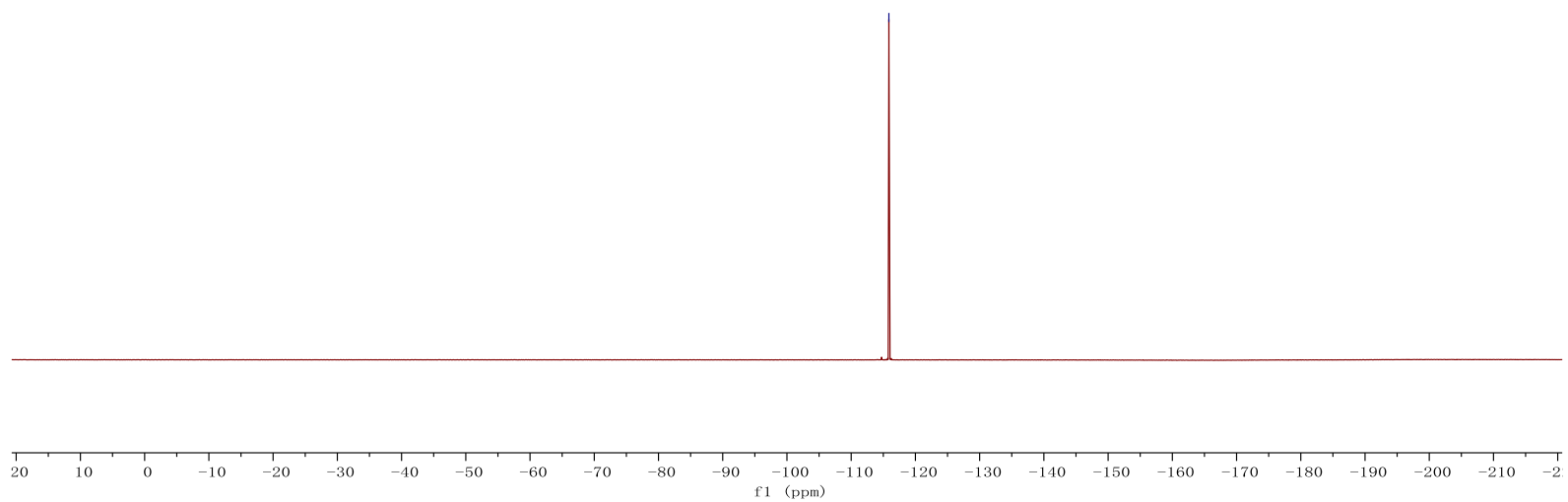


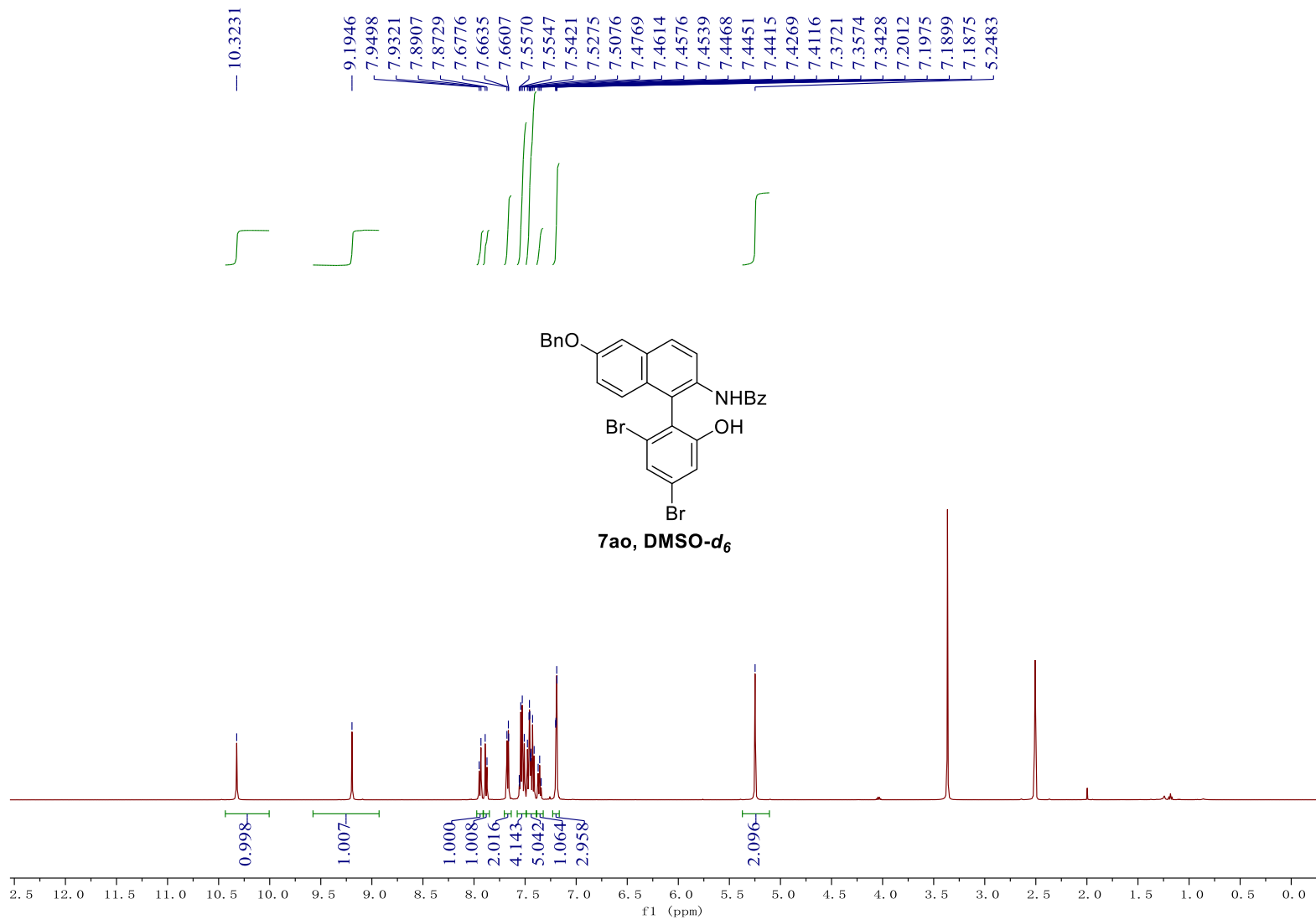


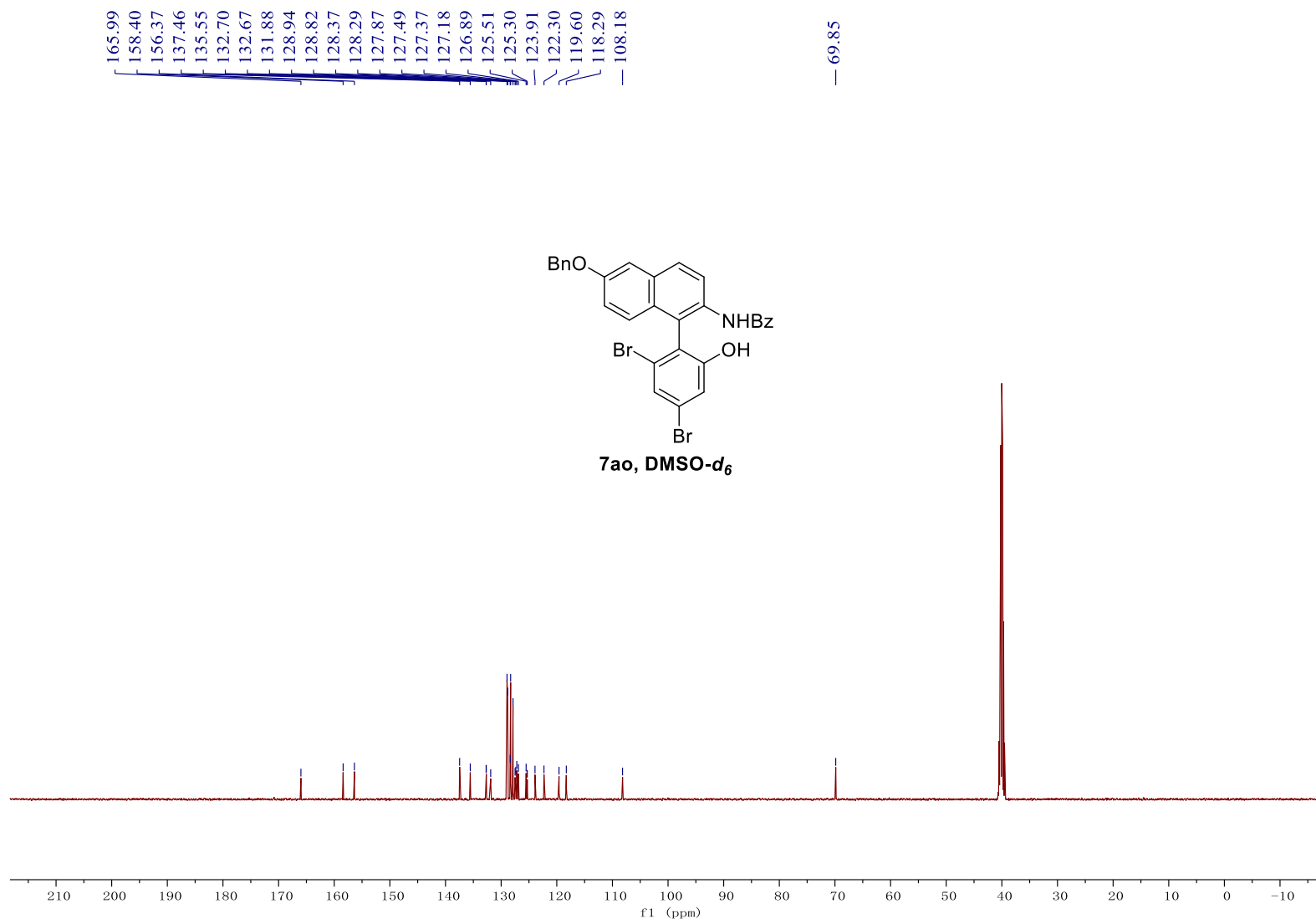


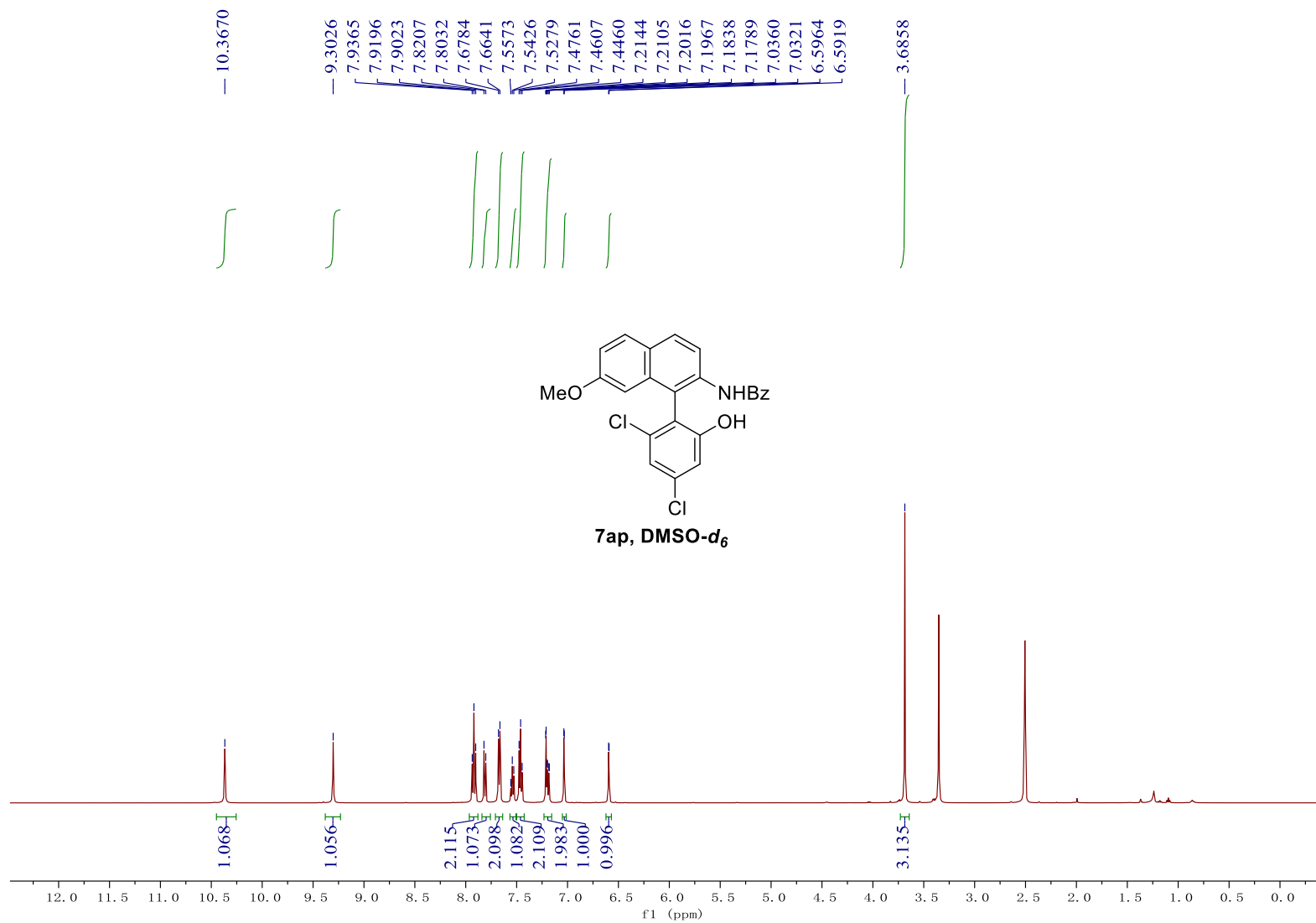
7an, DMSO- $d_6$

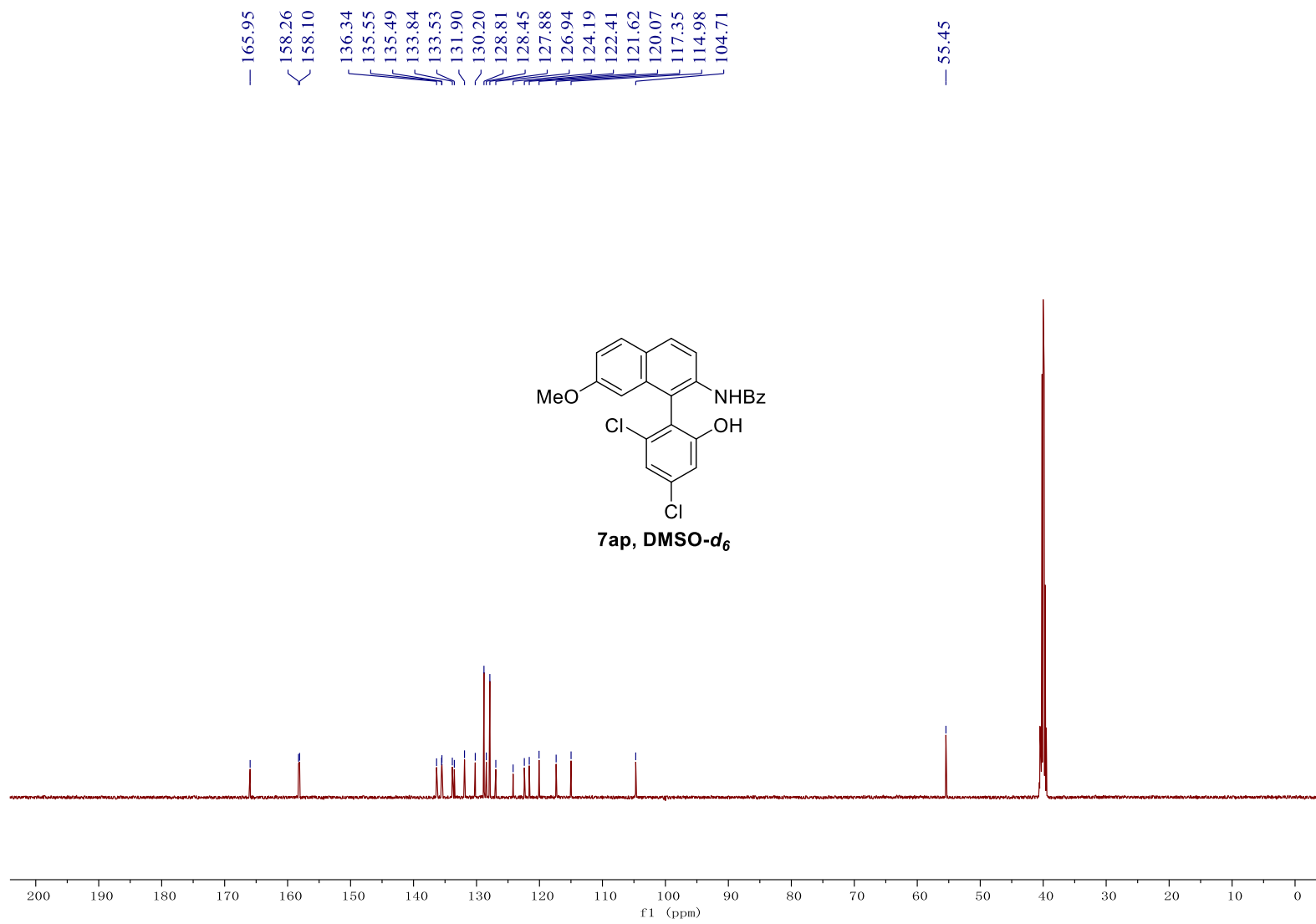
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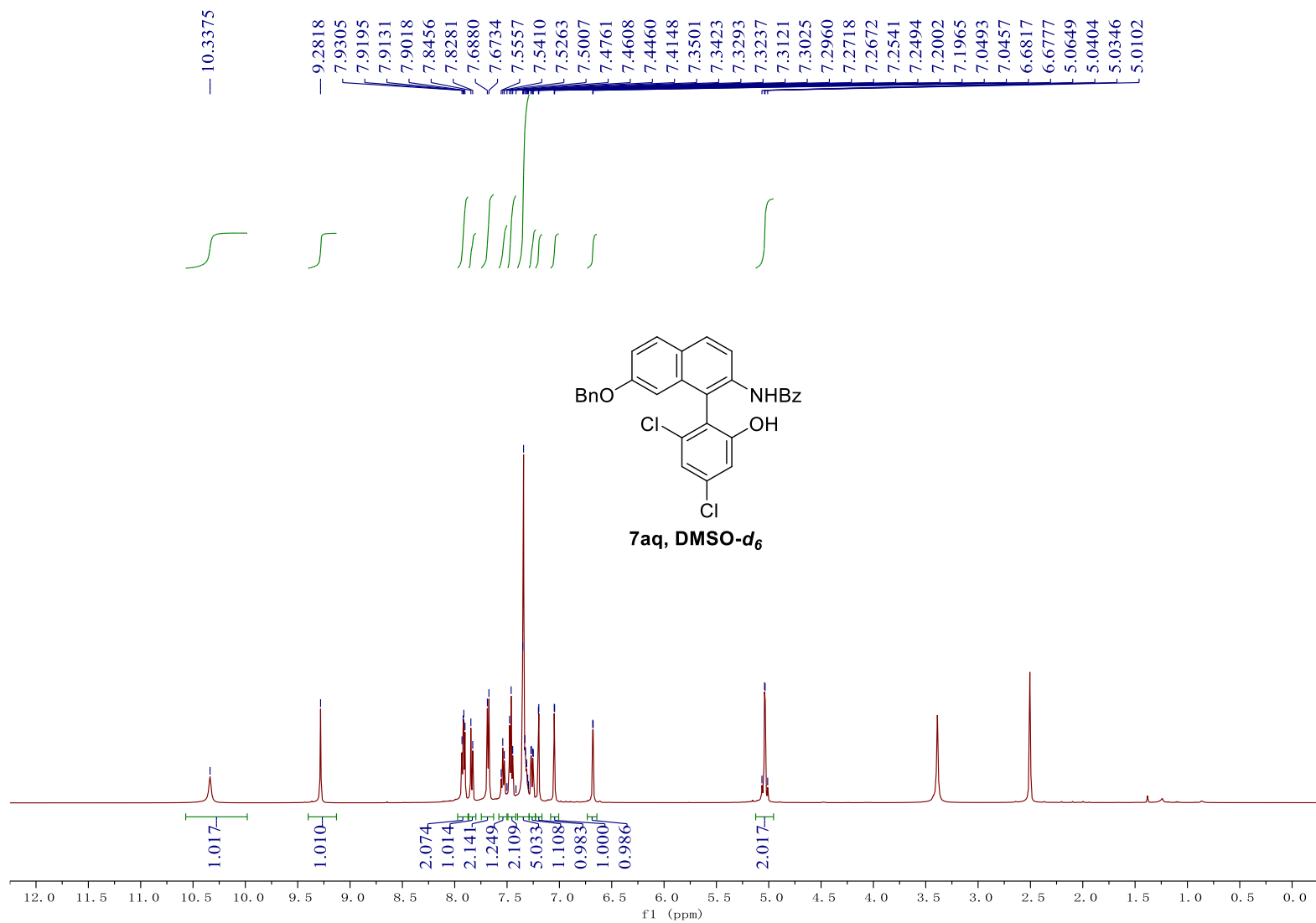


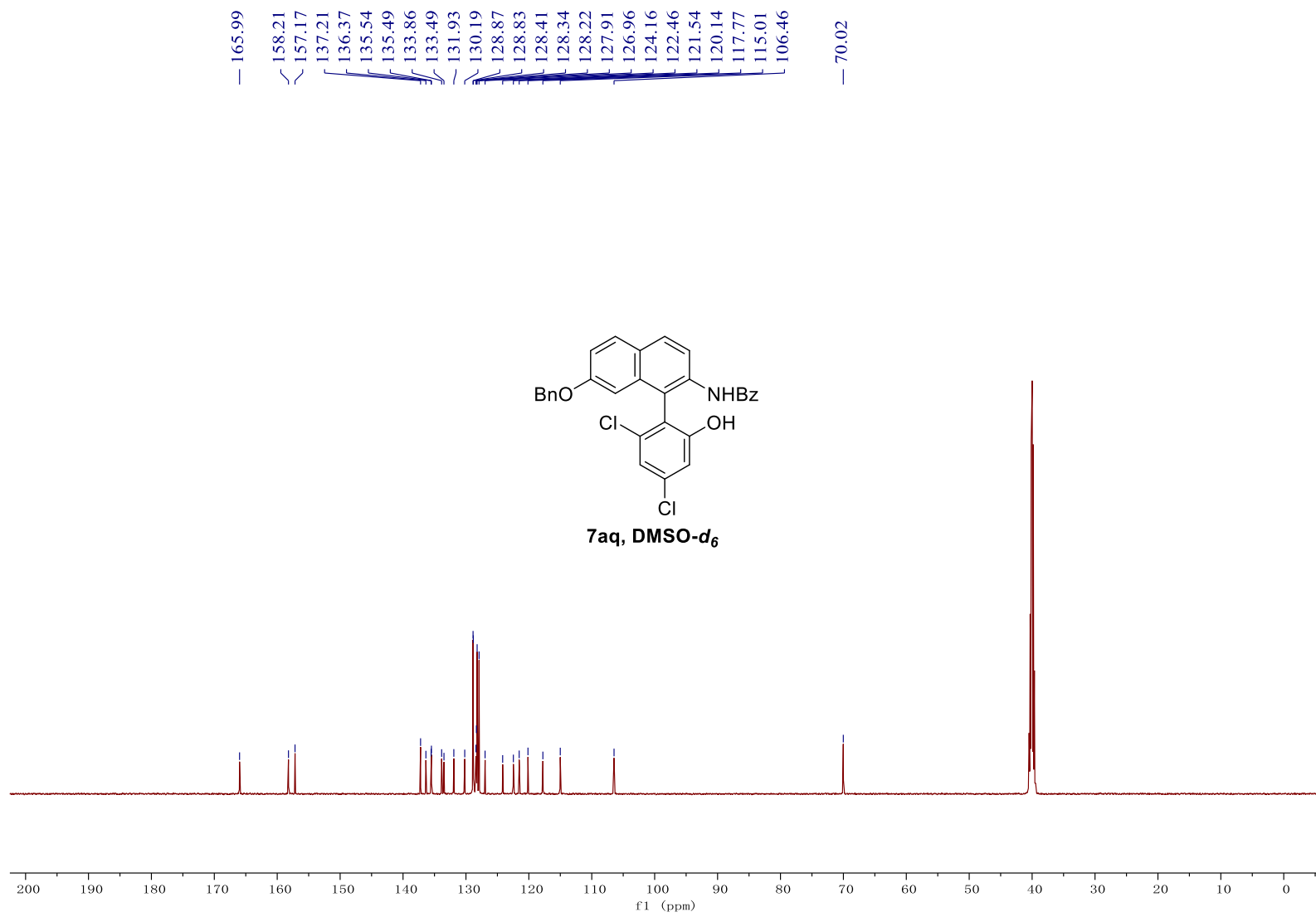


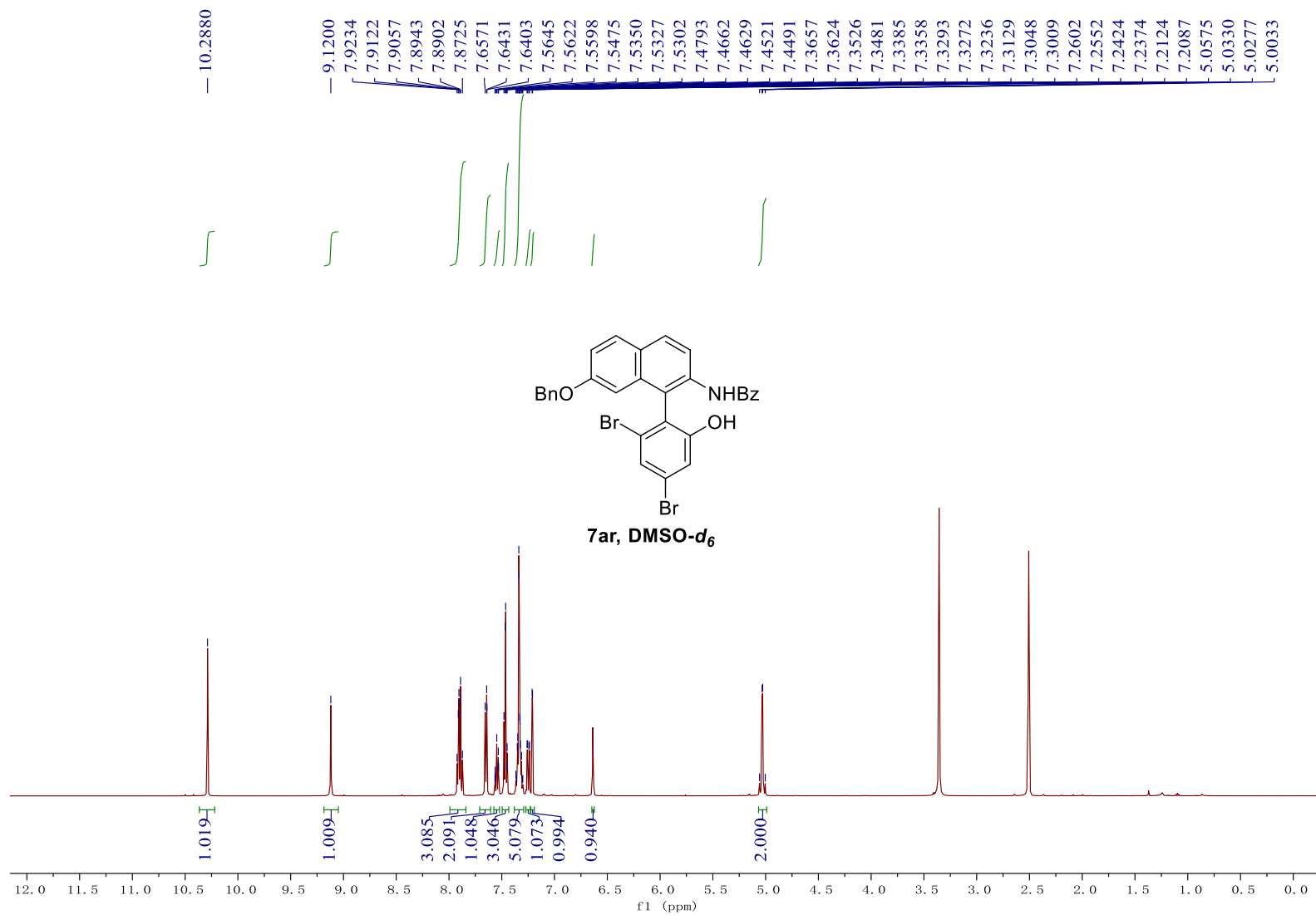


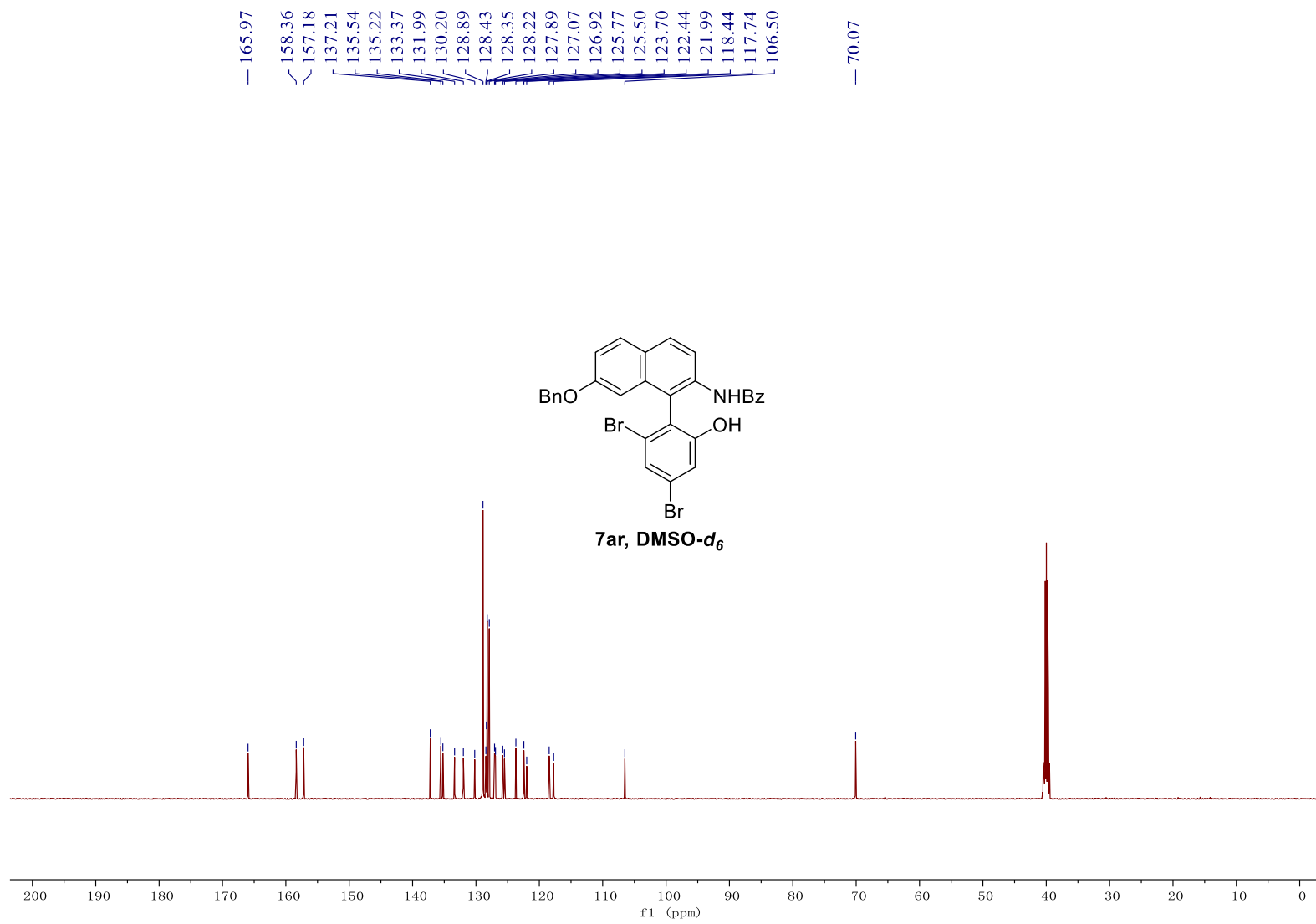


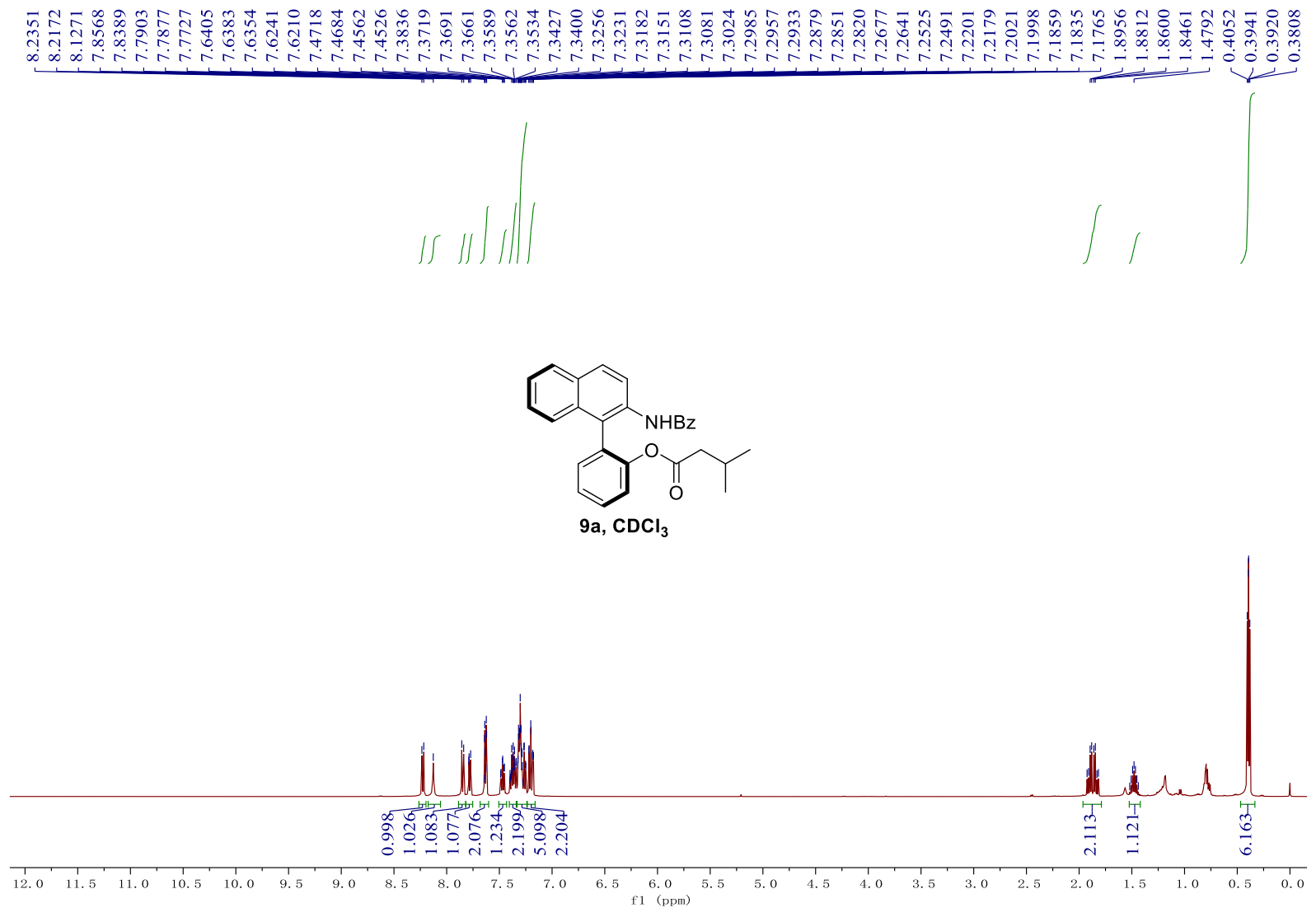


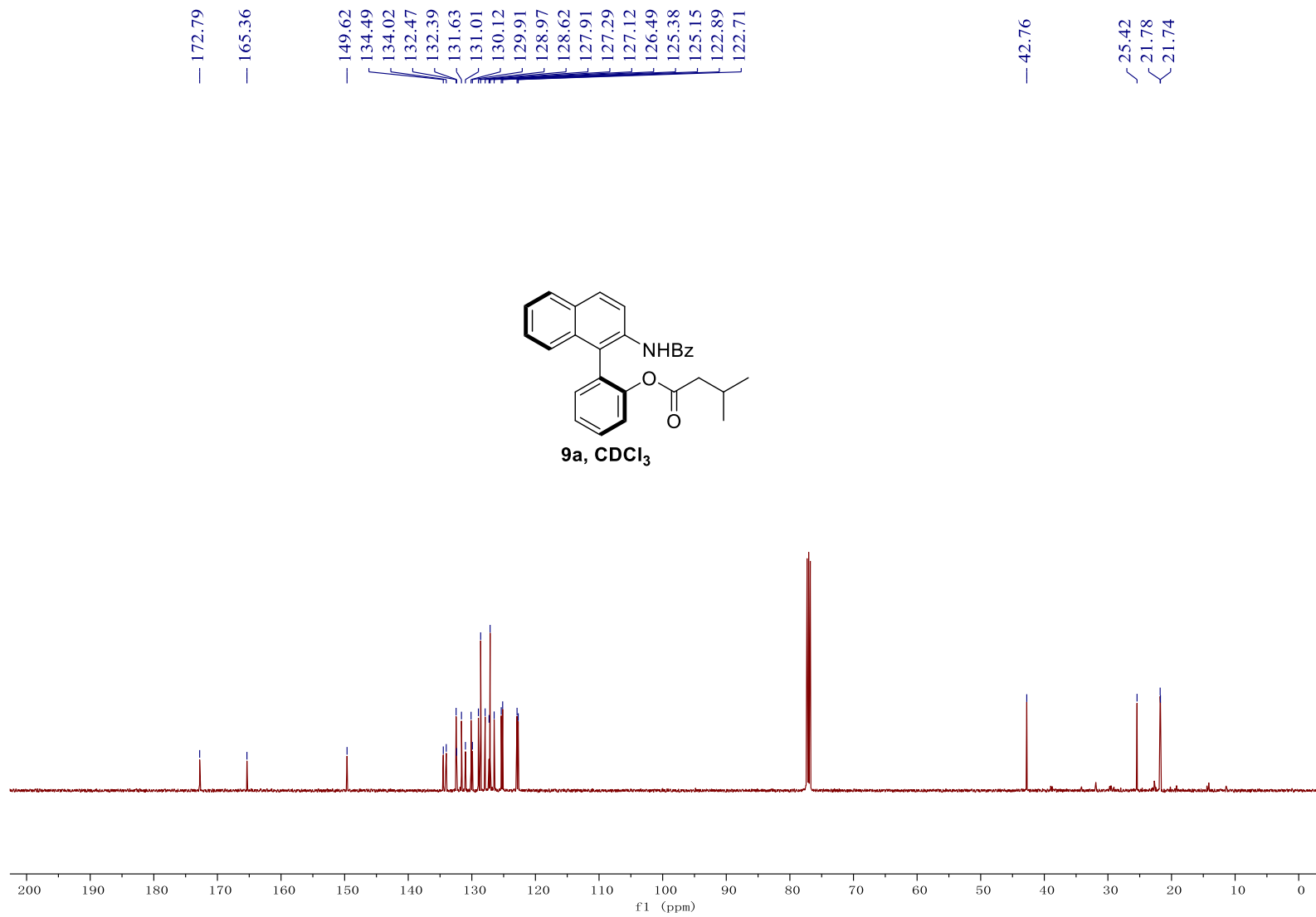


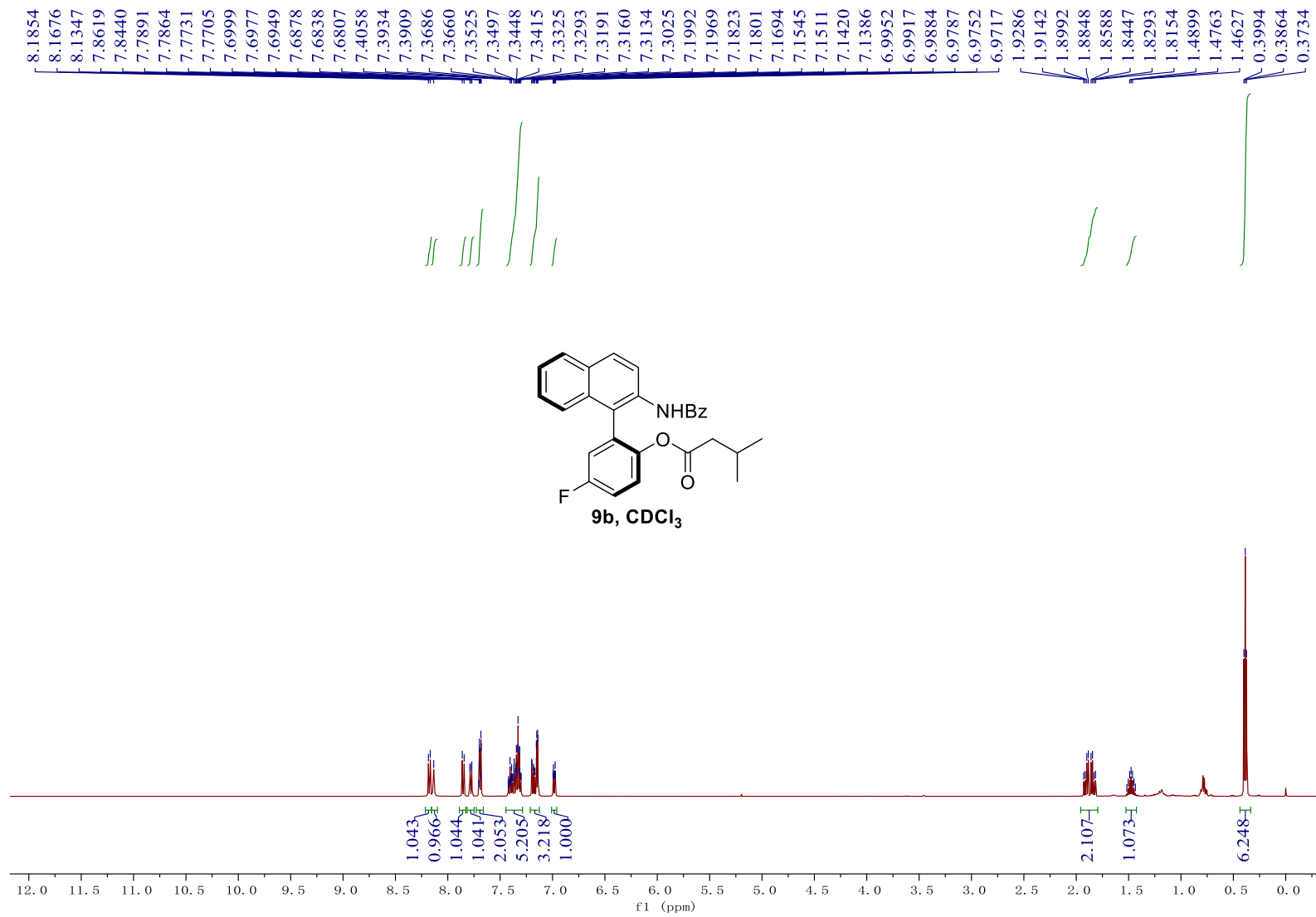


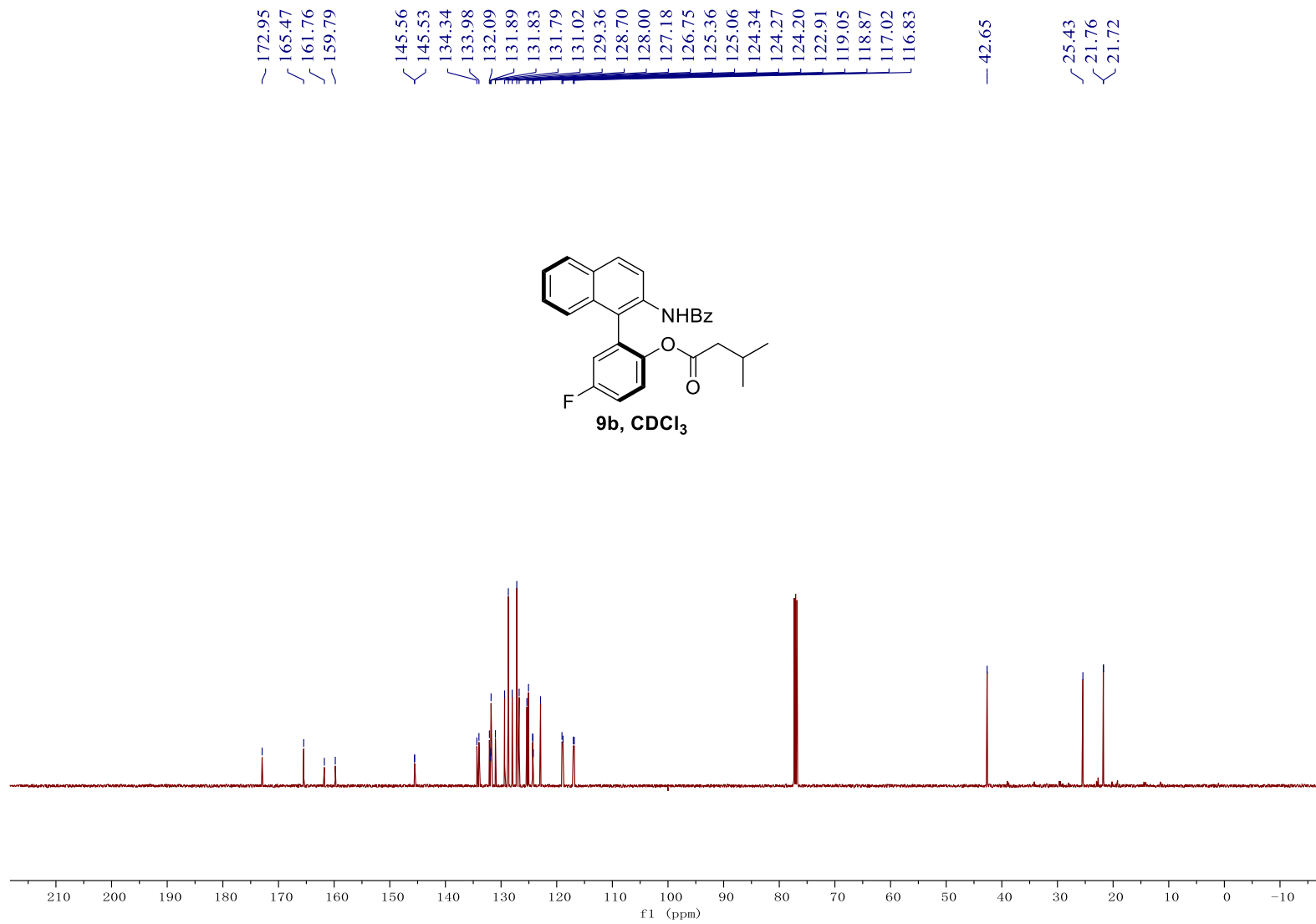




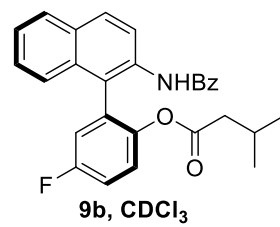




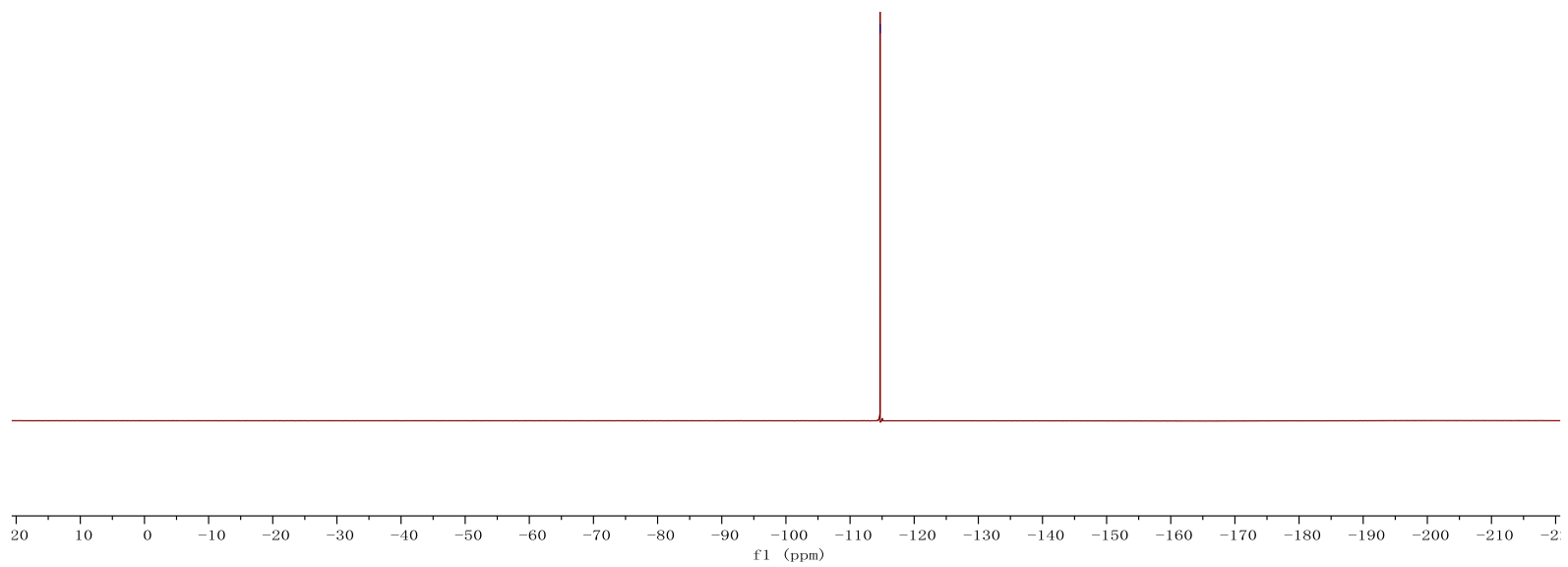


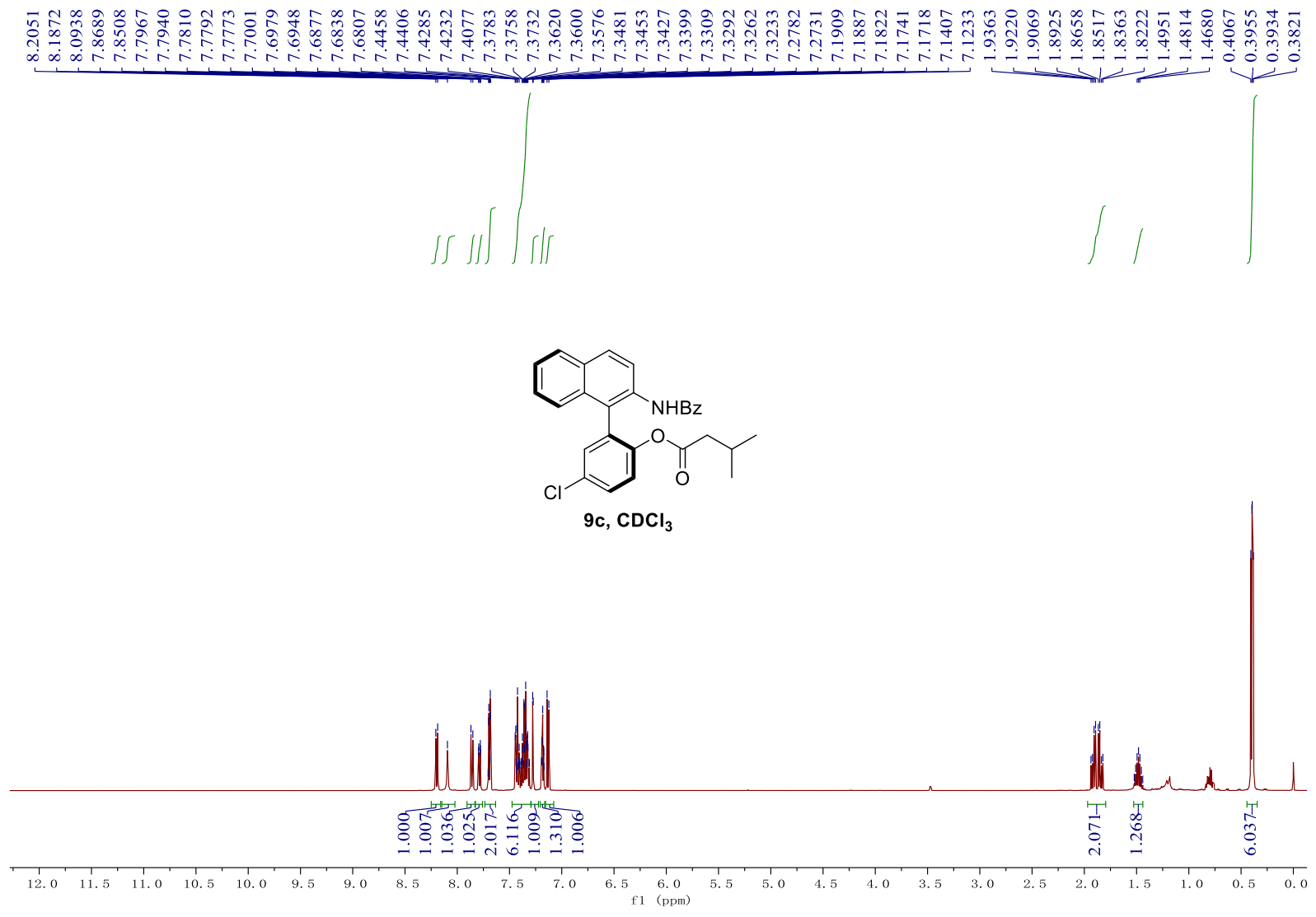


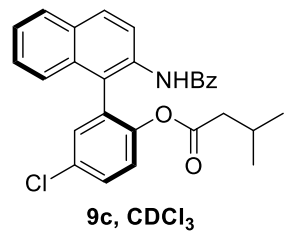
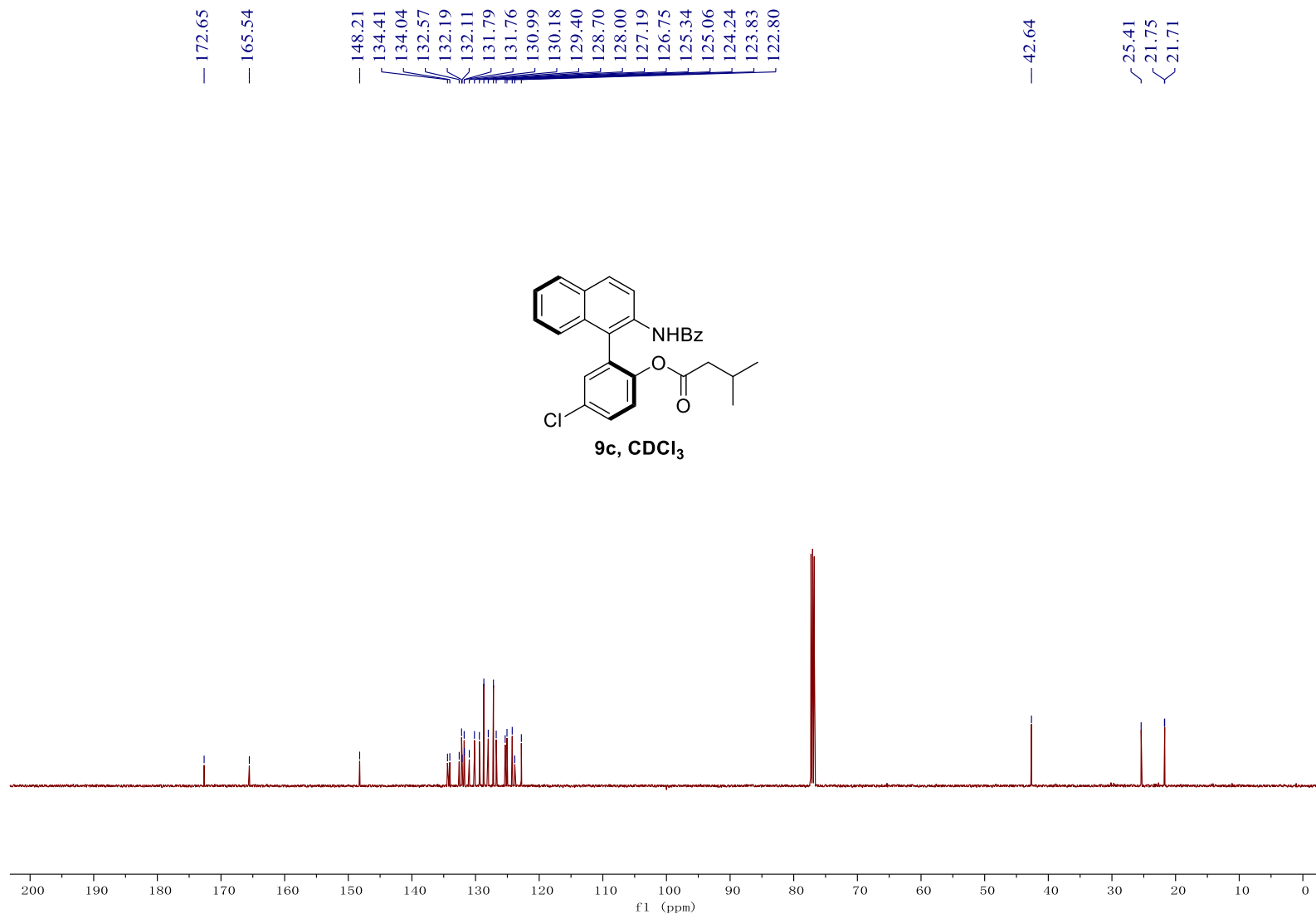


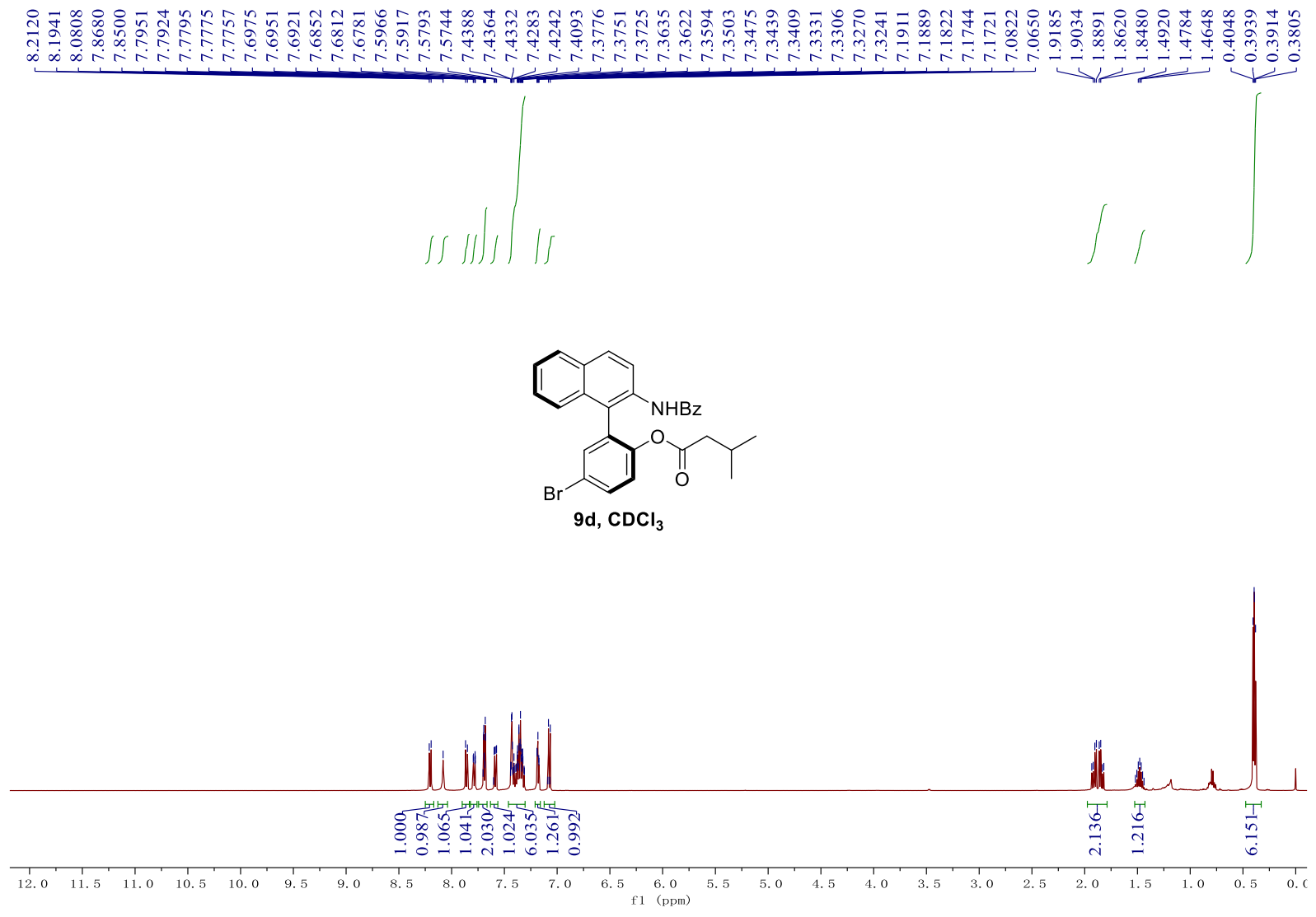


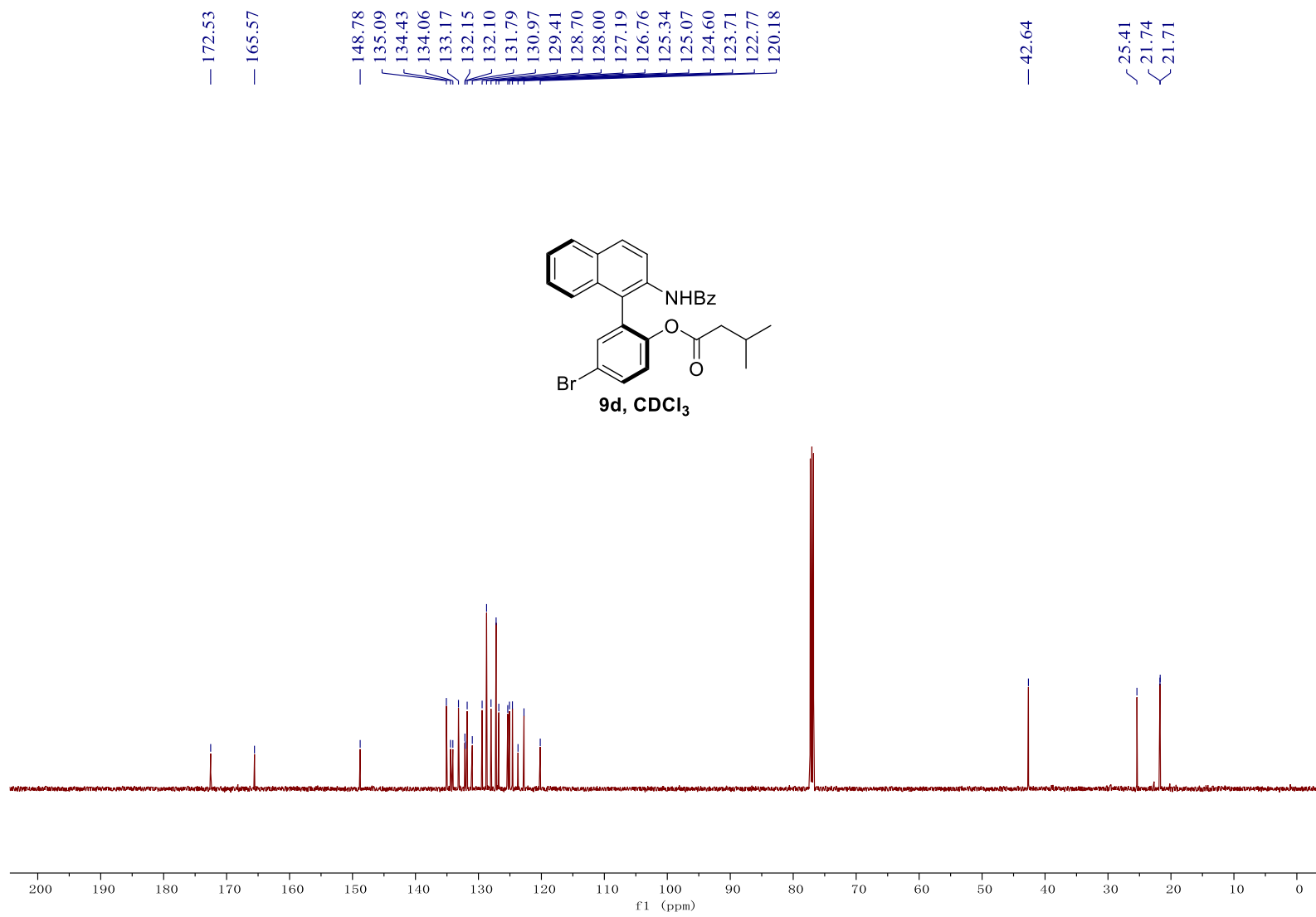
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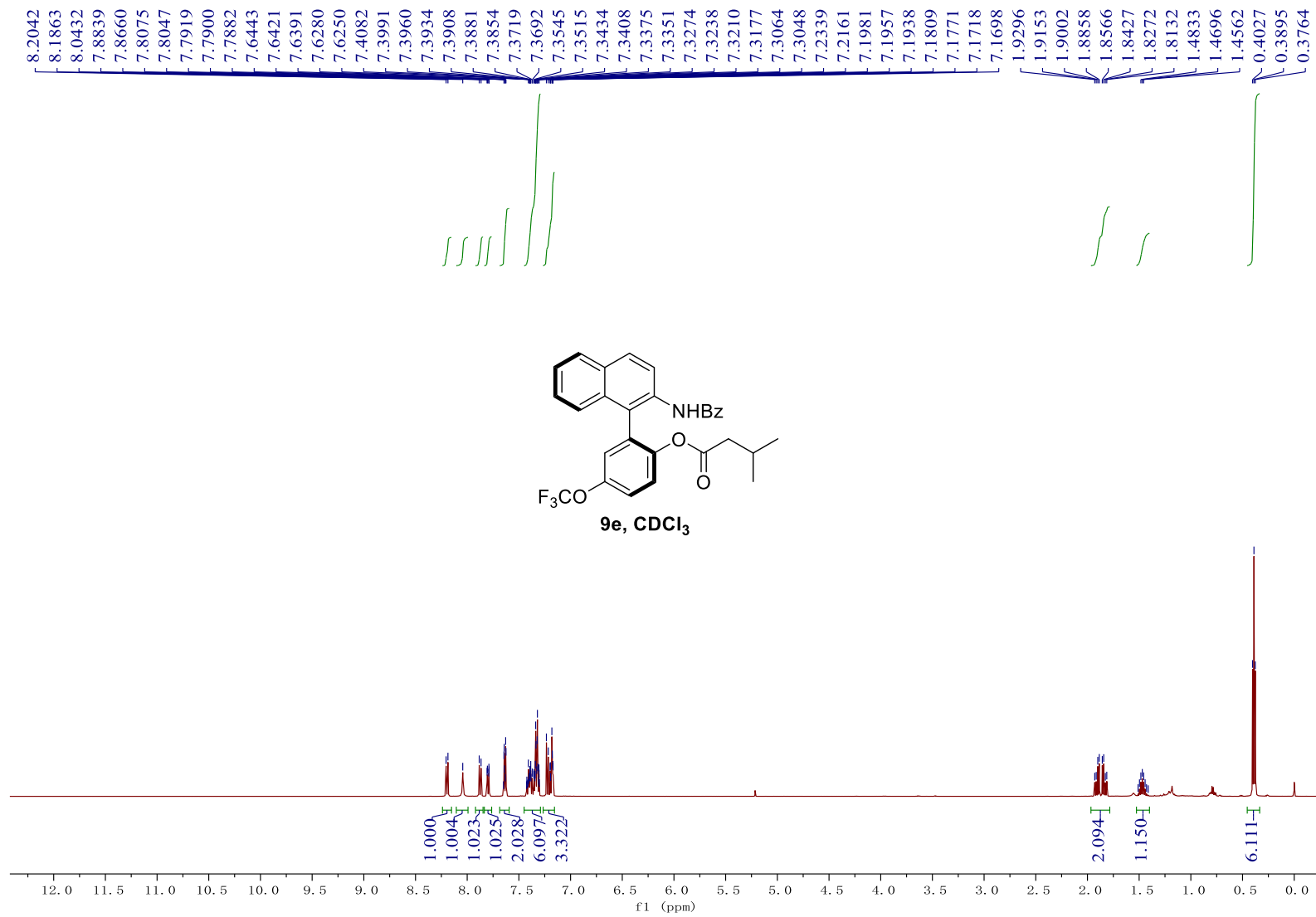


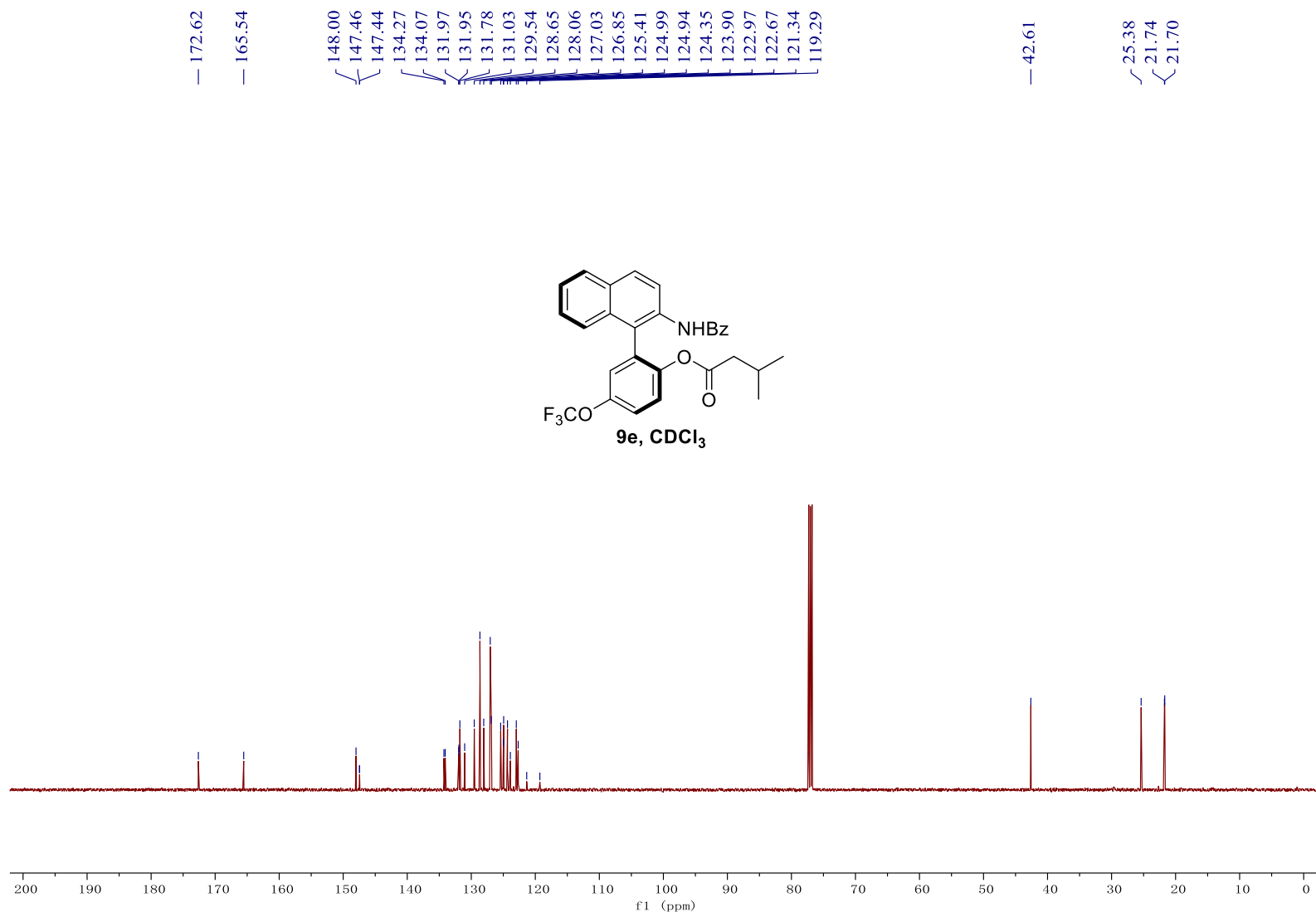




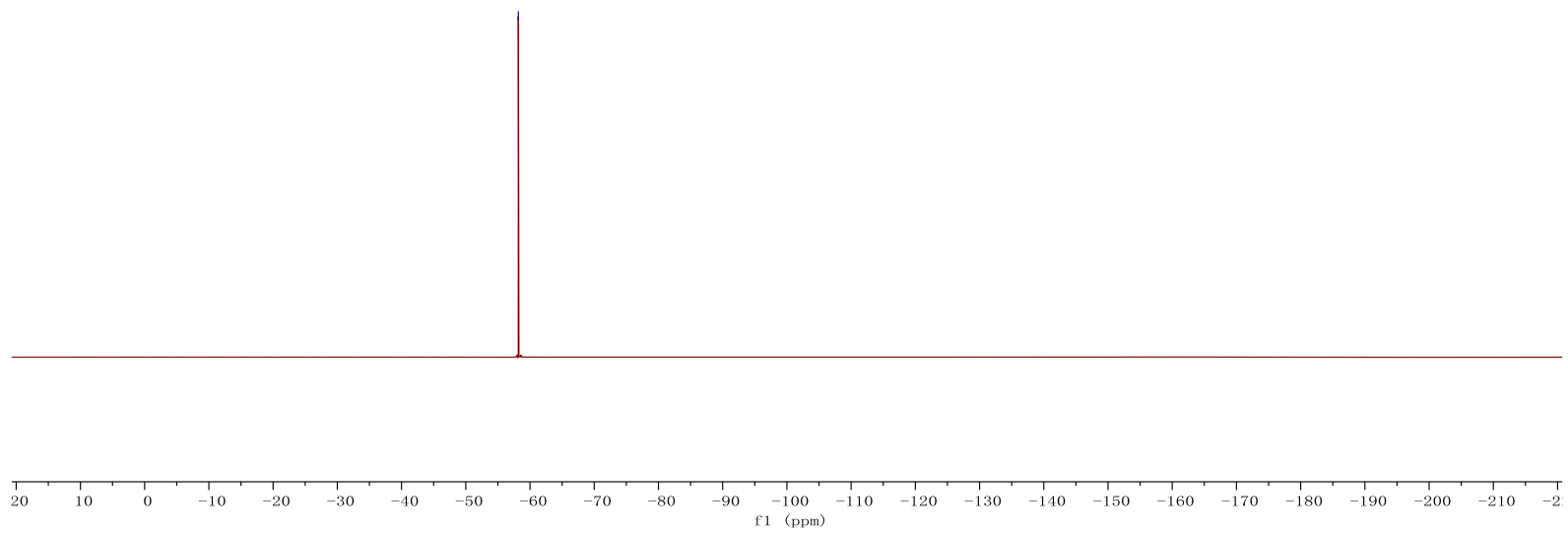
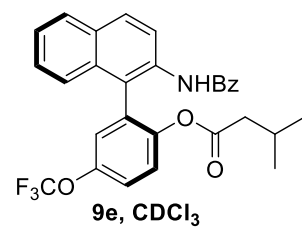




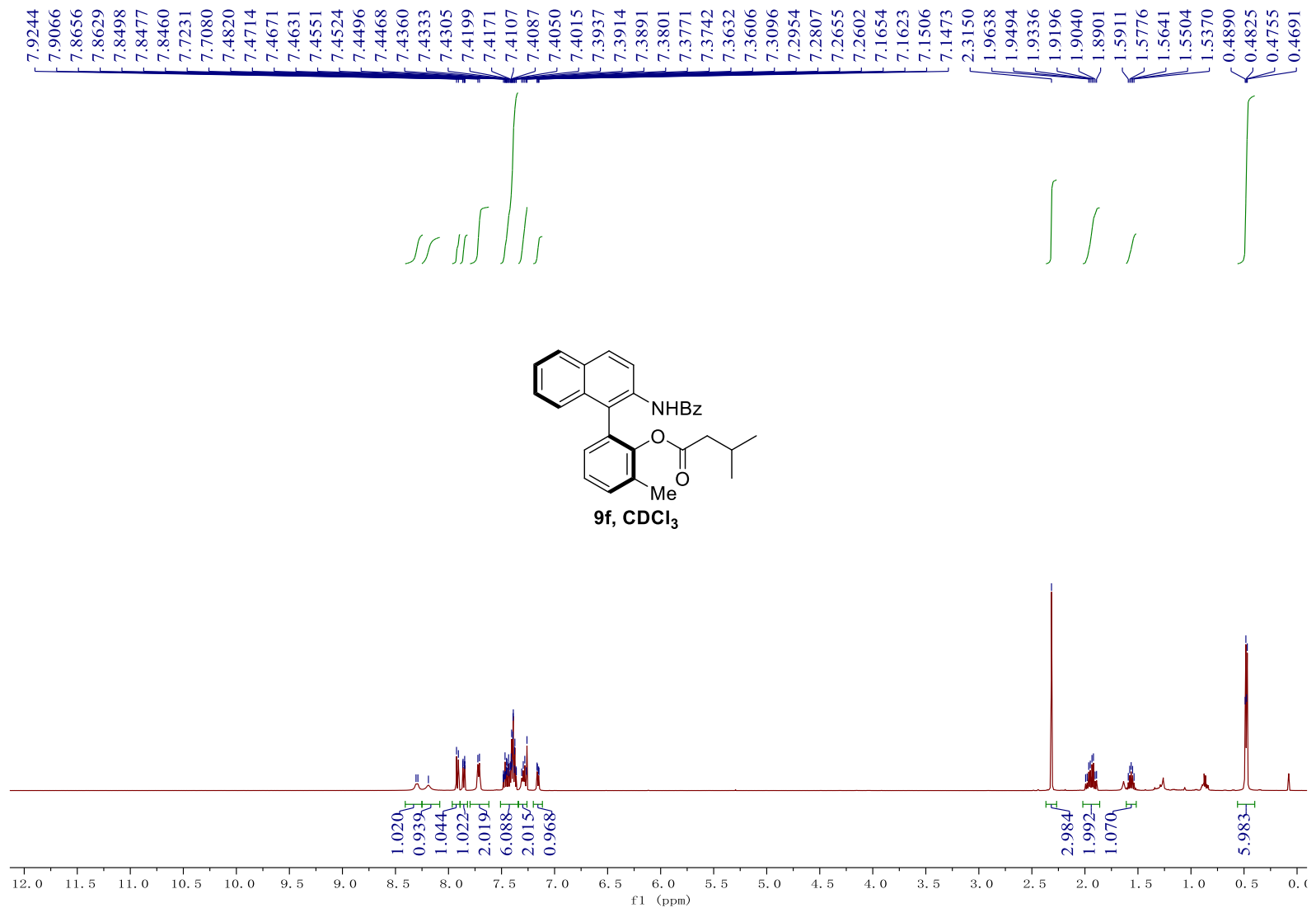


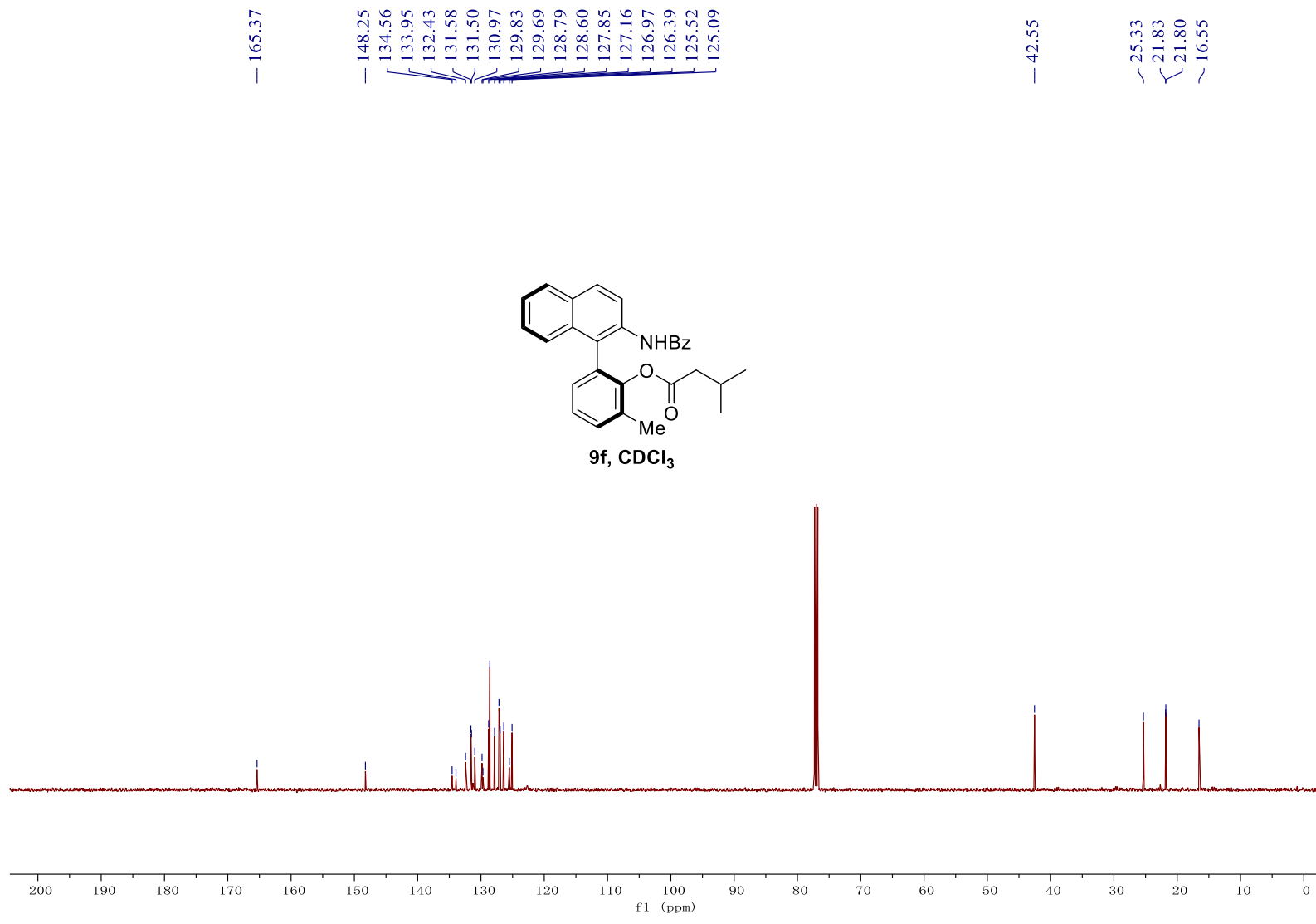


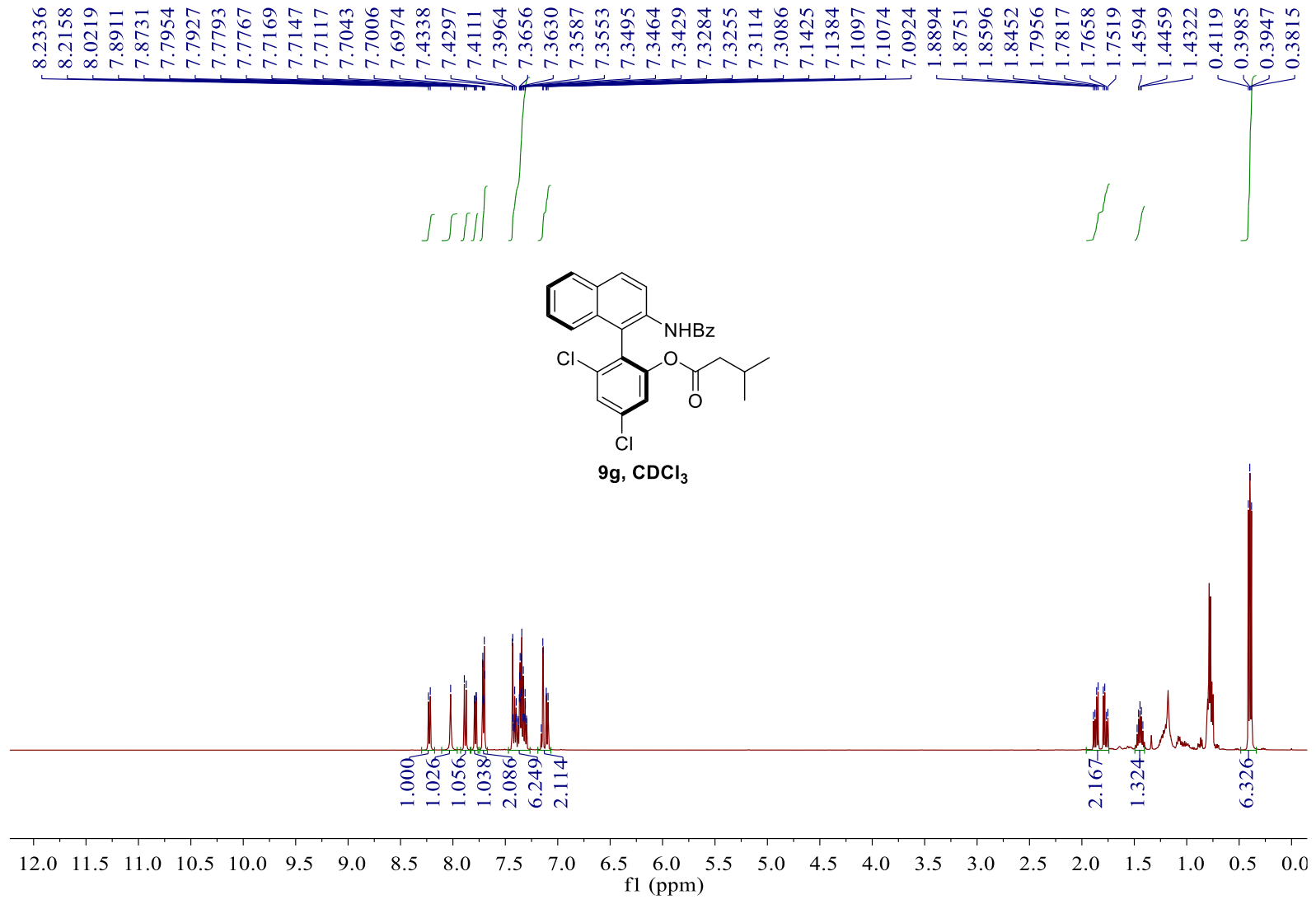
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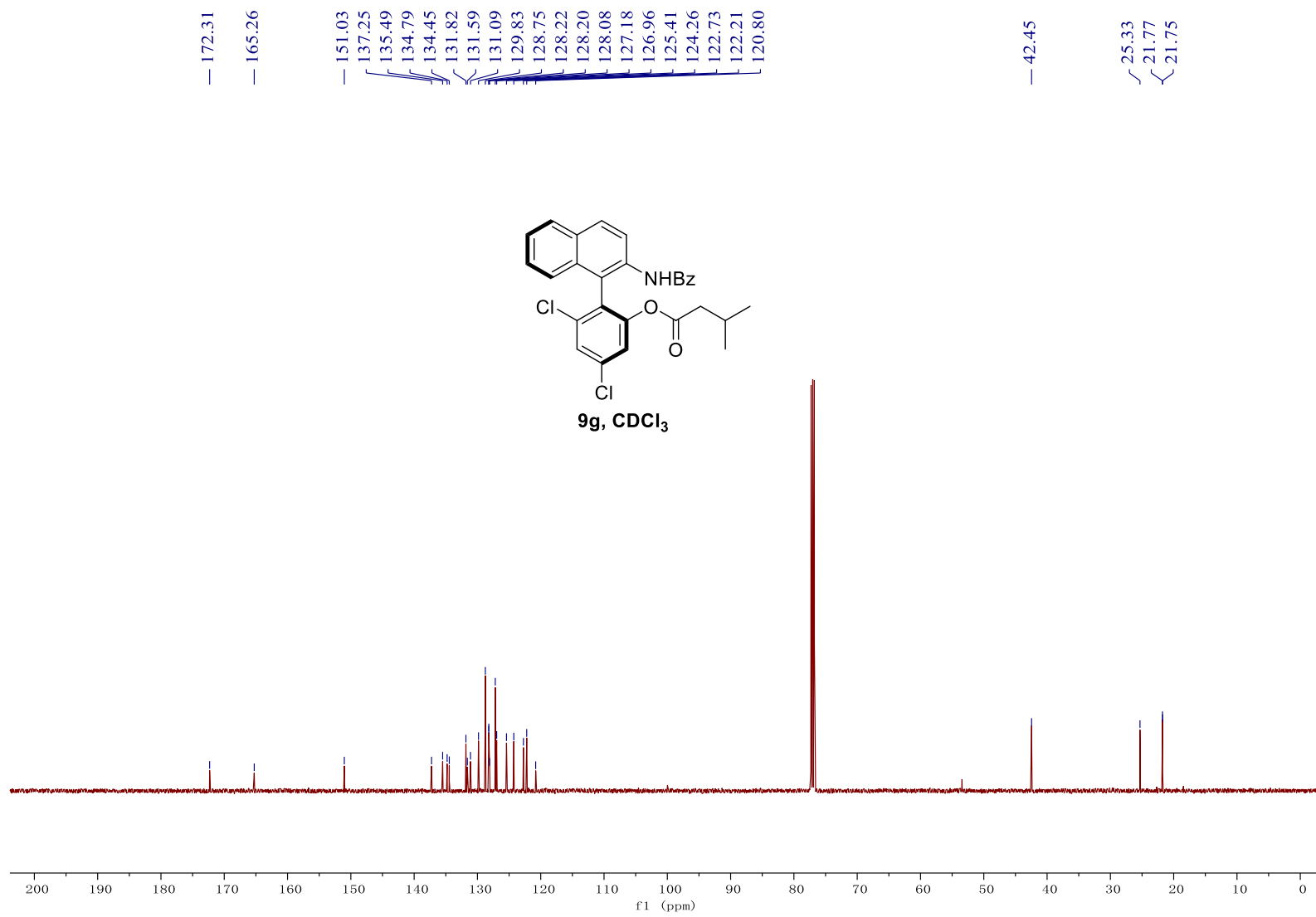


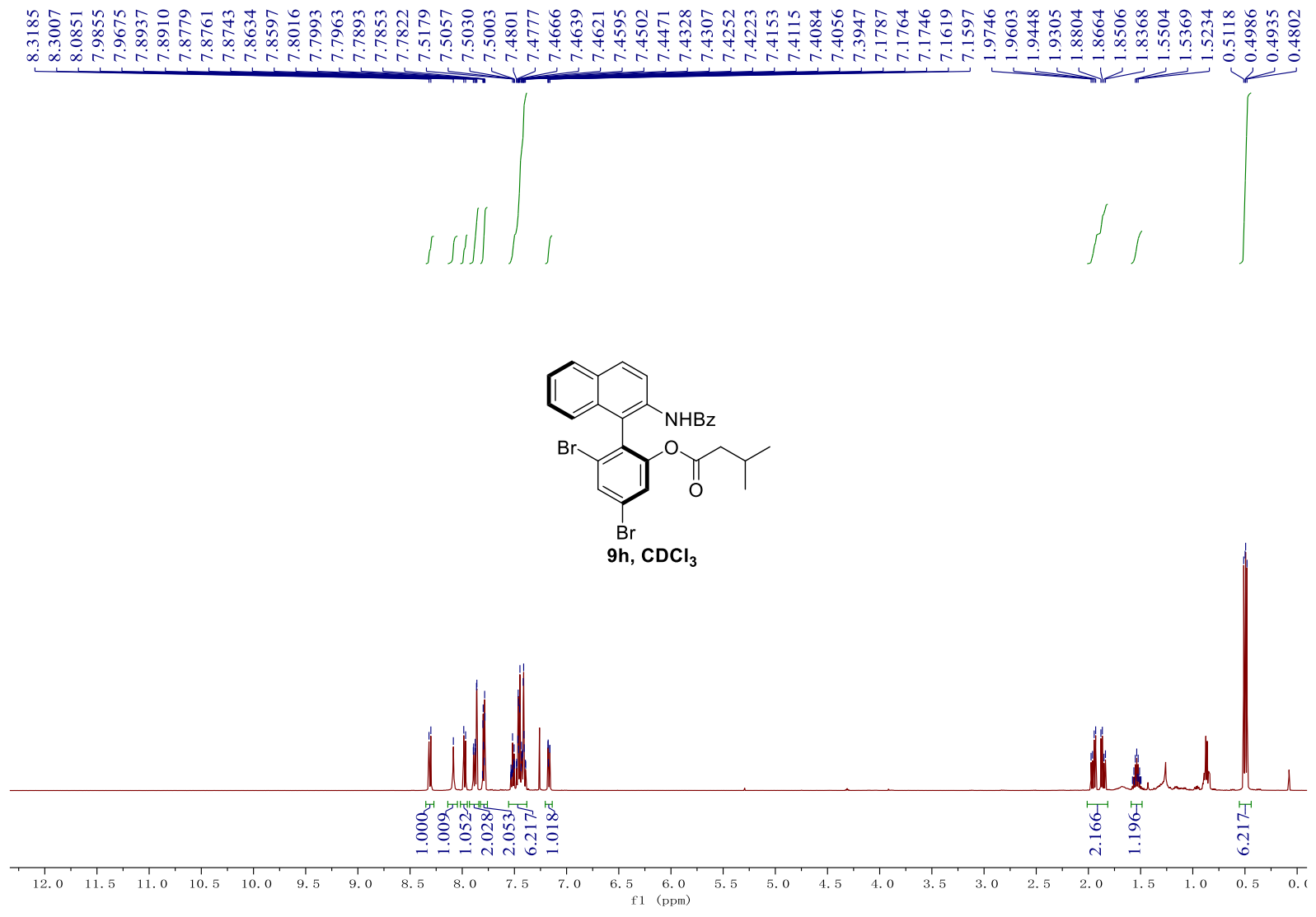


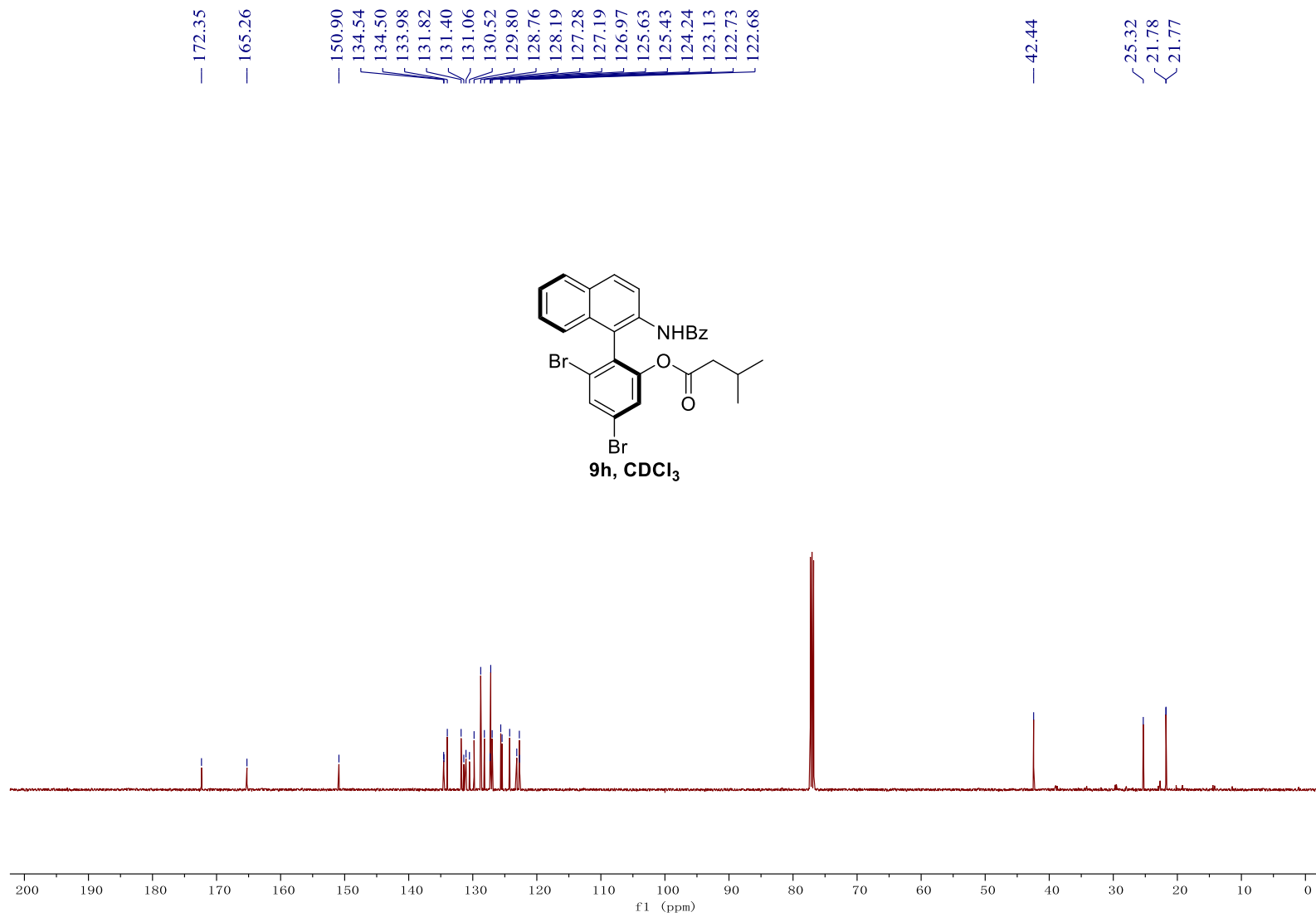


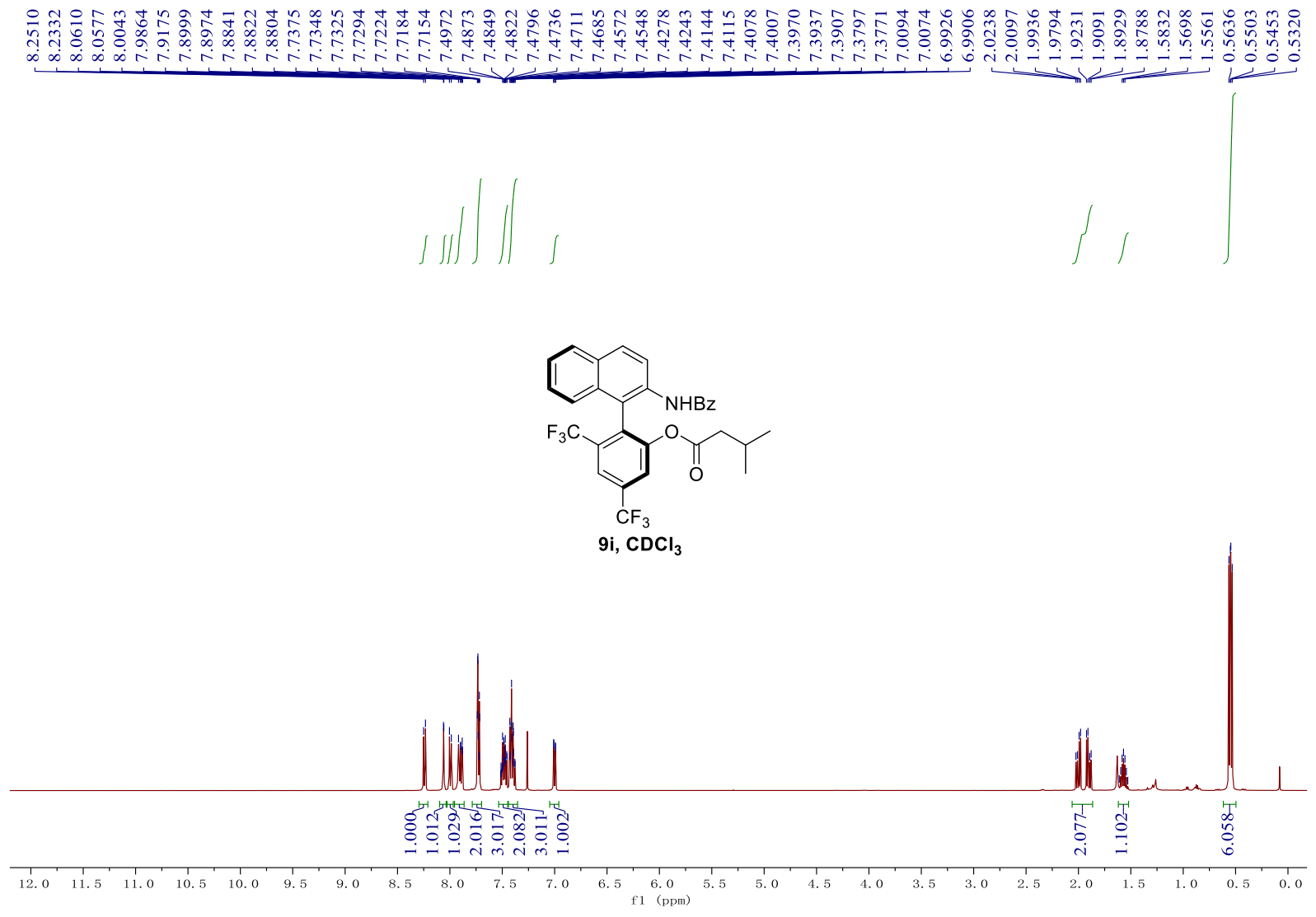


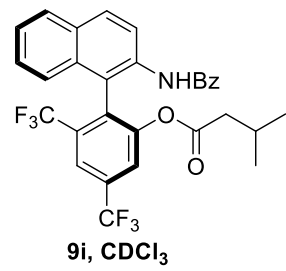
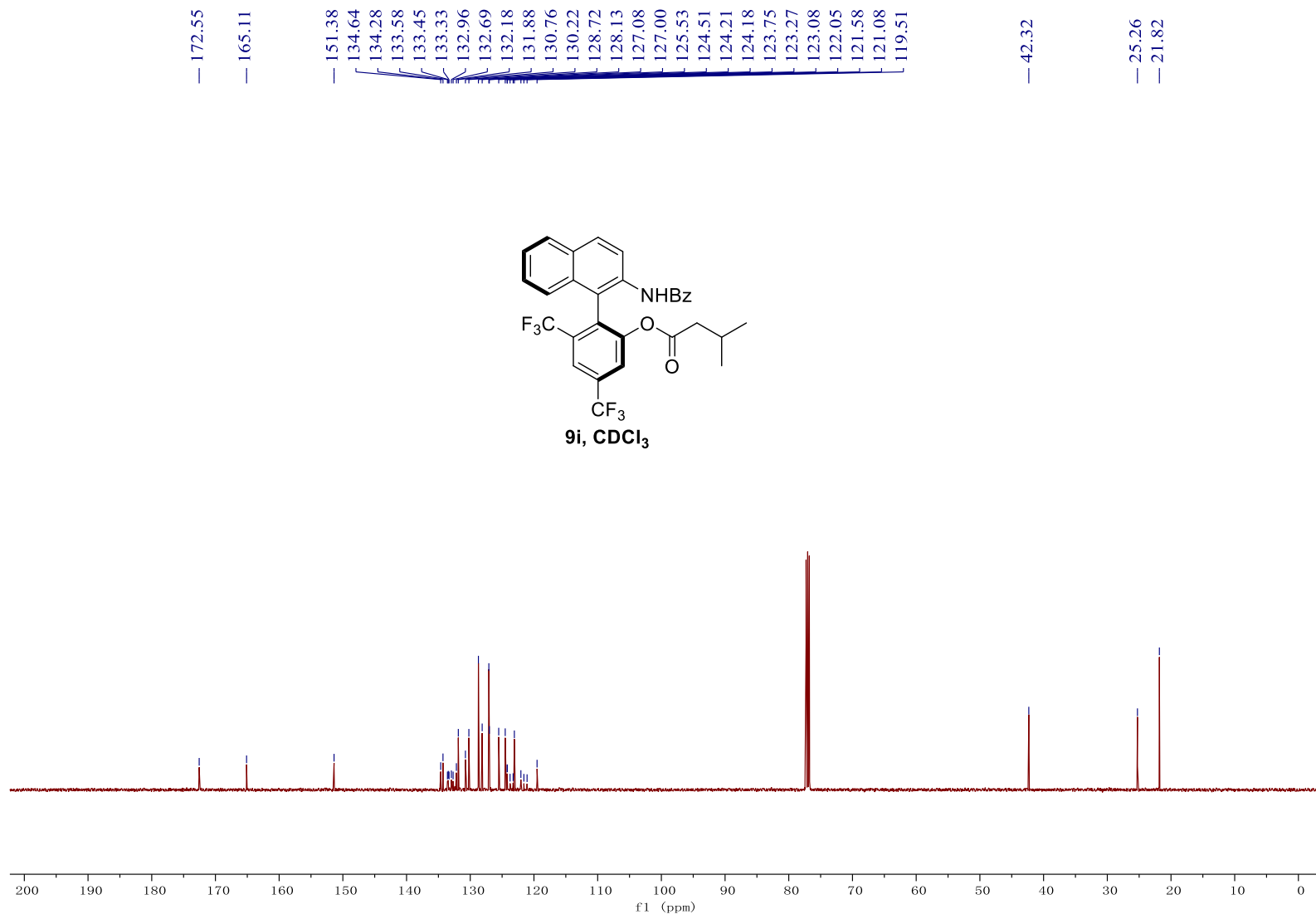






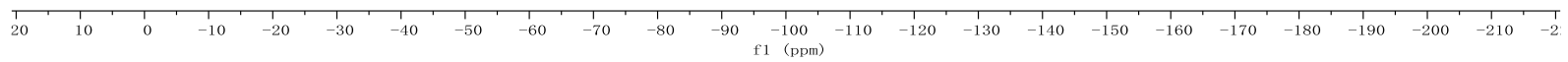
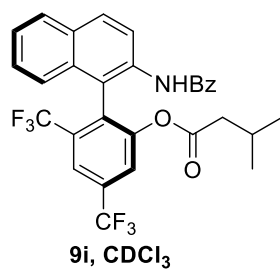


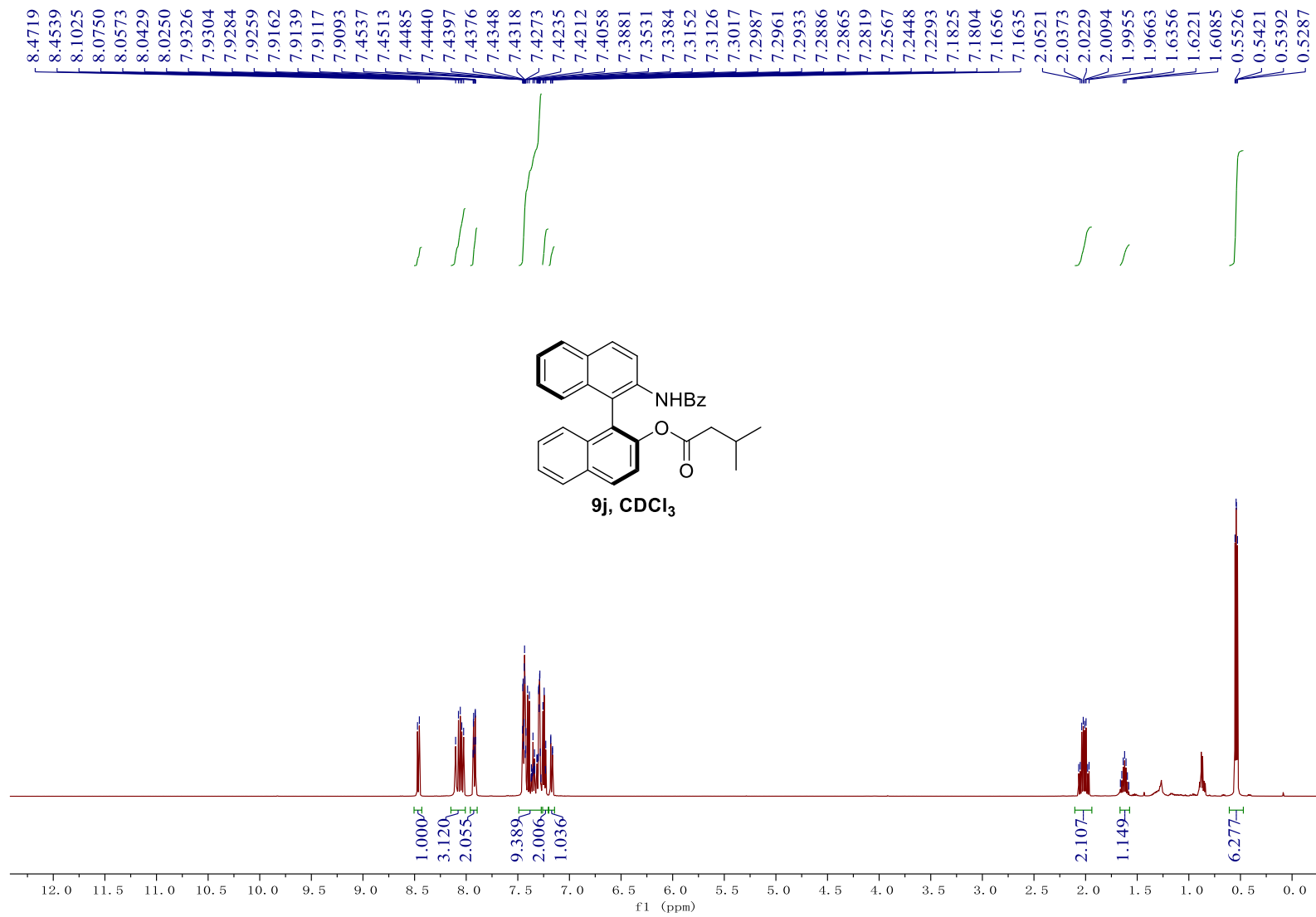


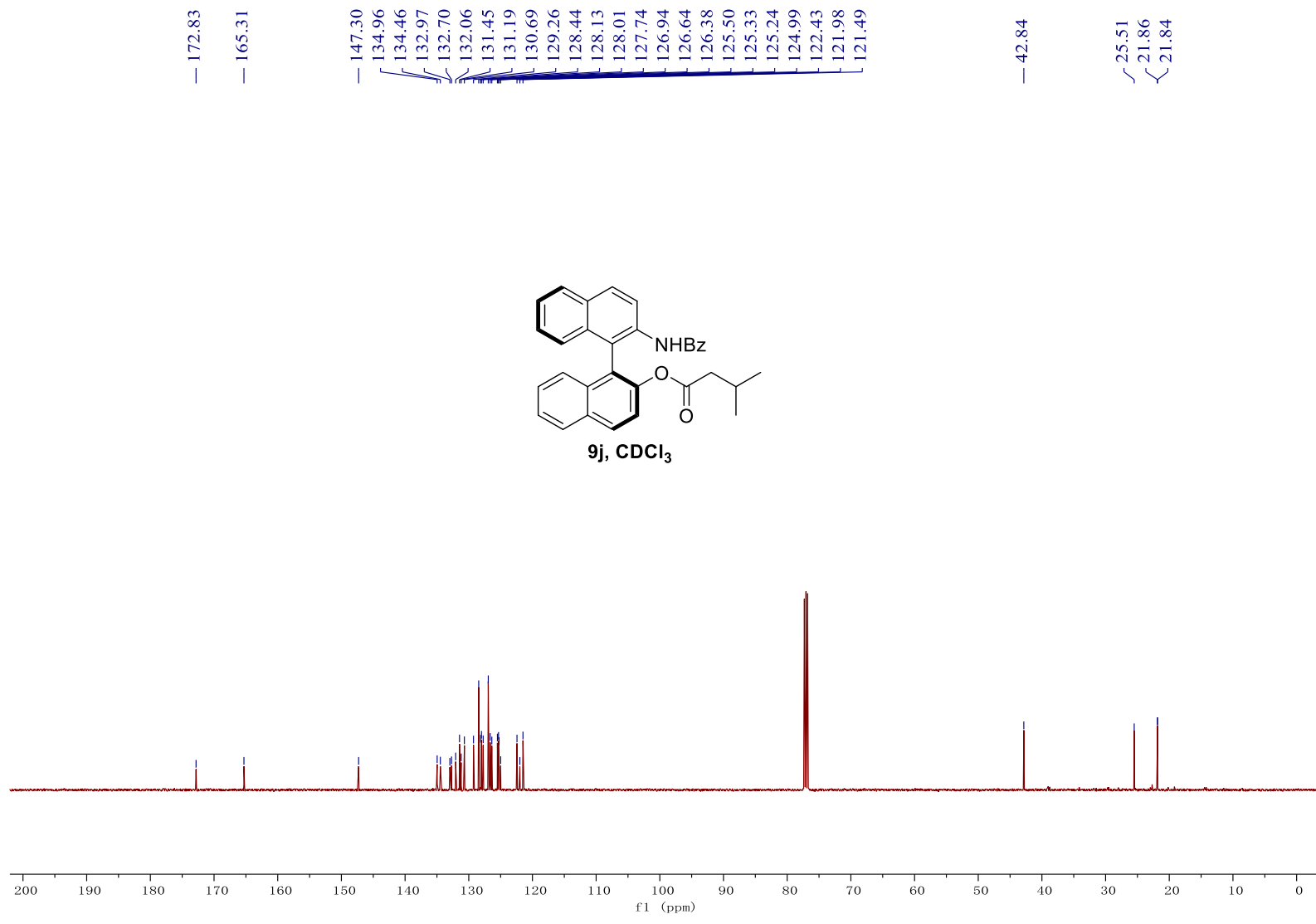


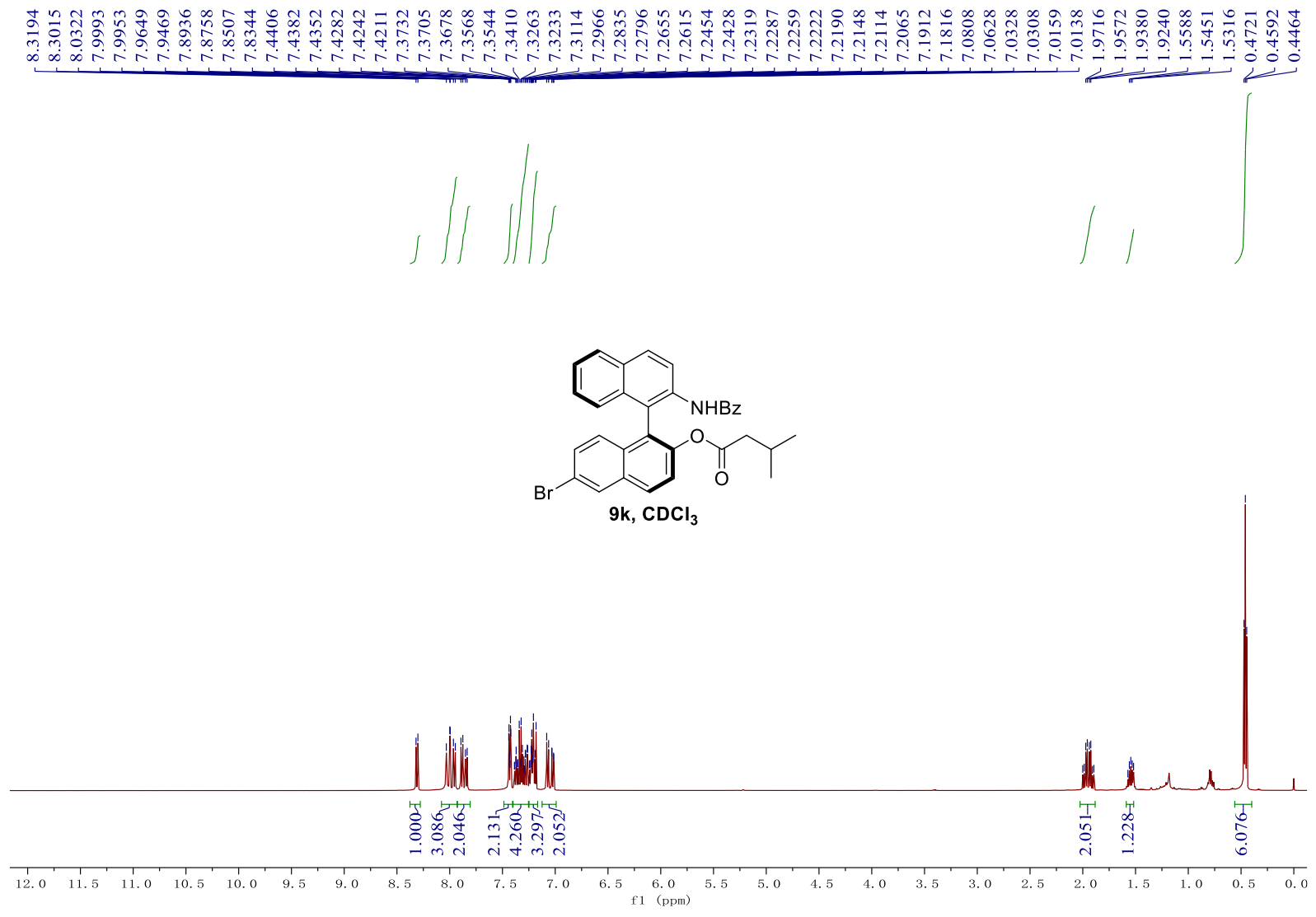


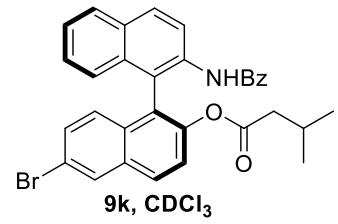
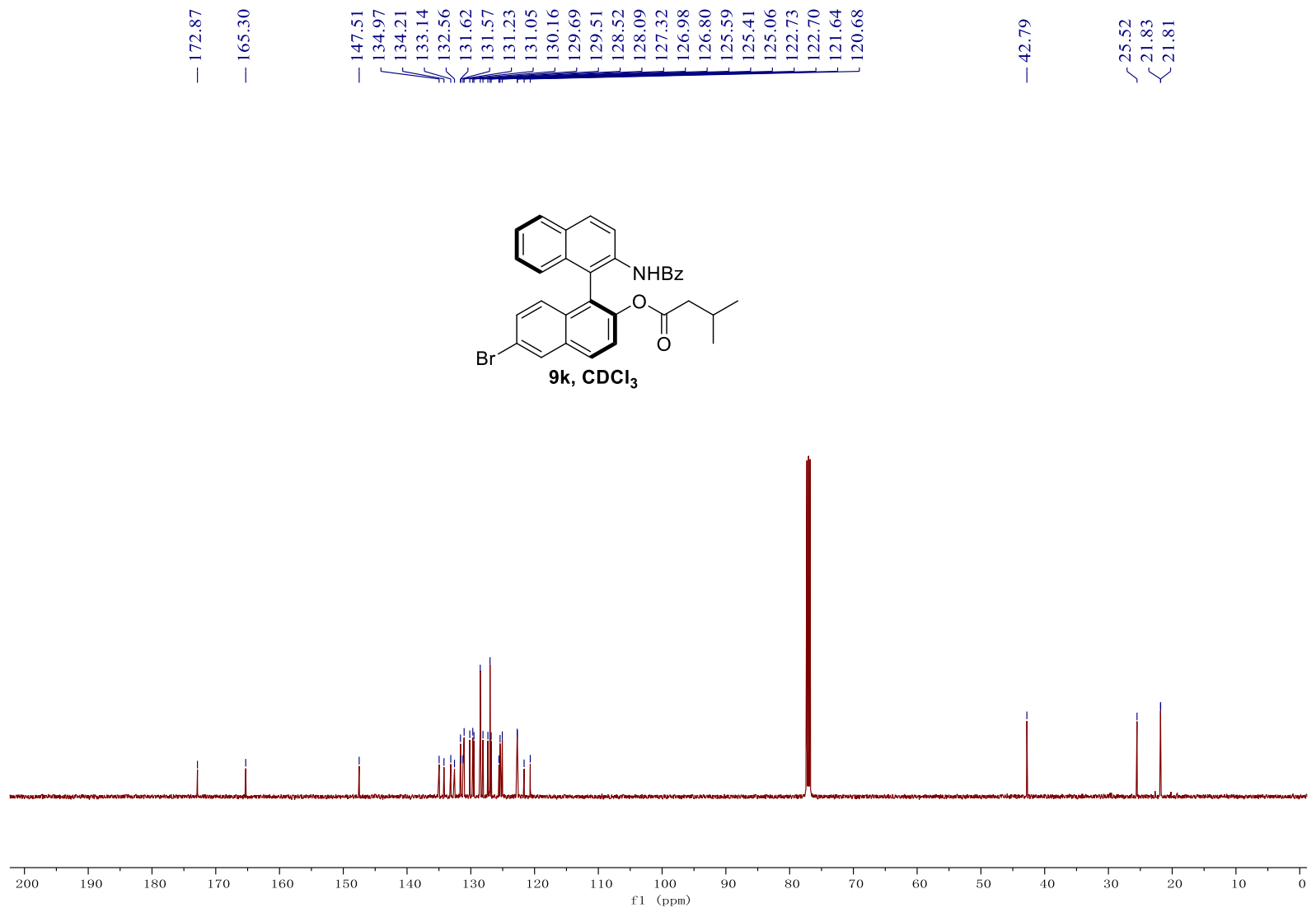
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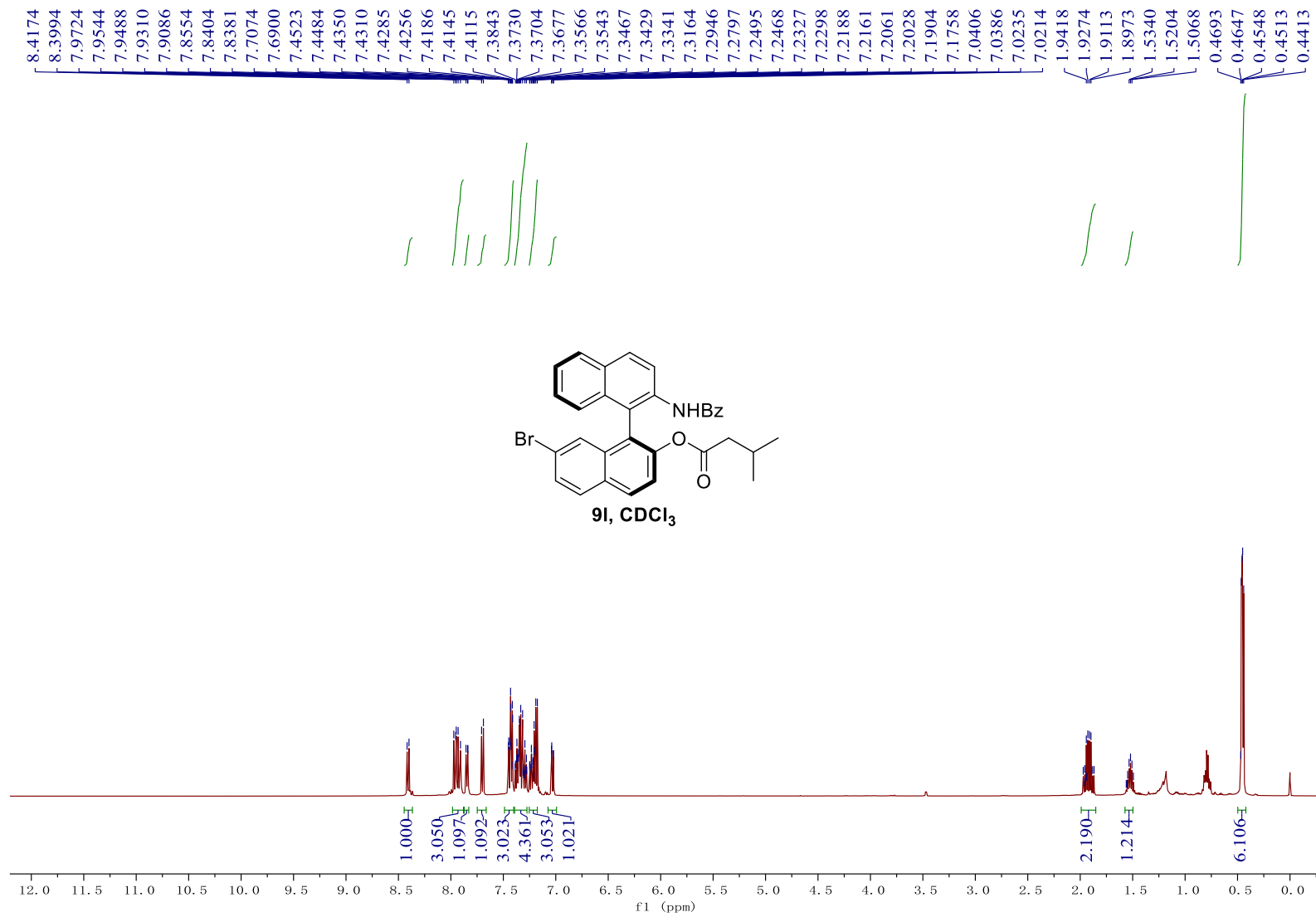


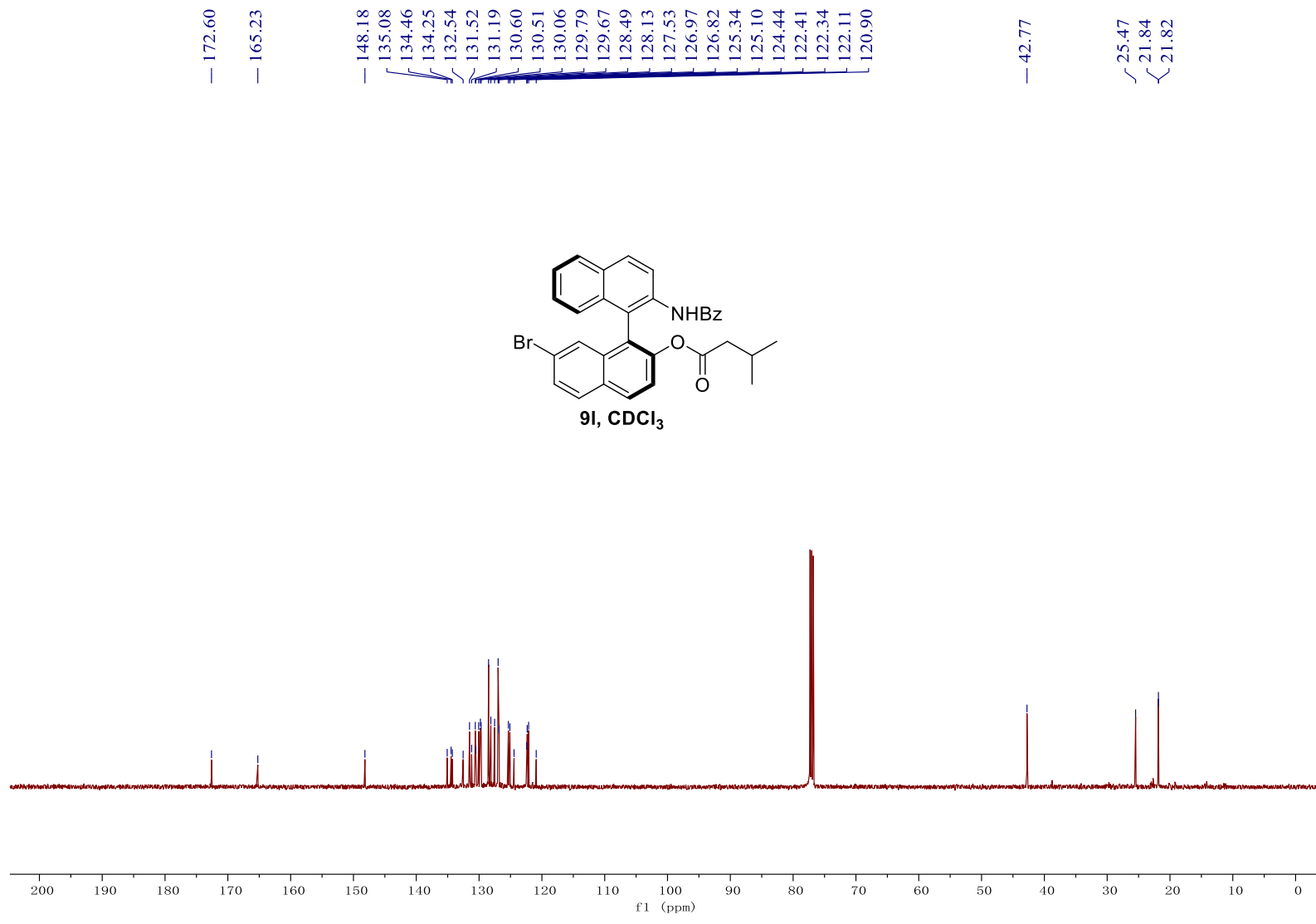


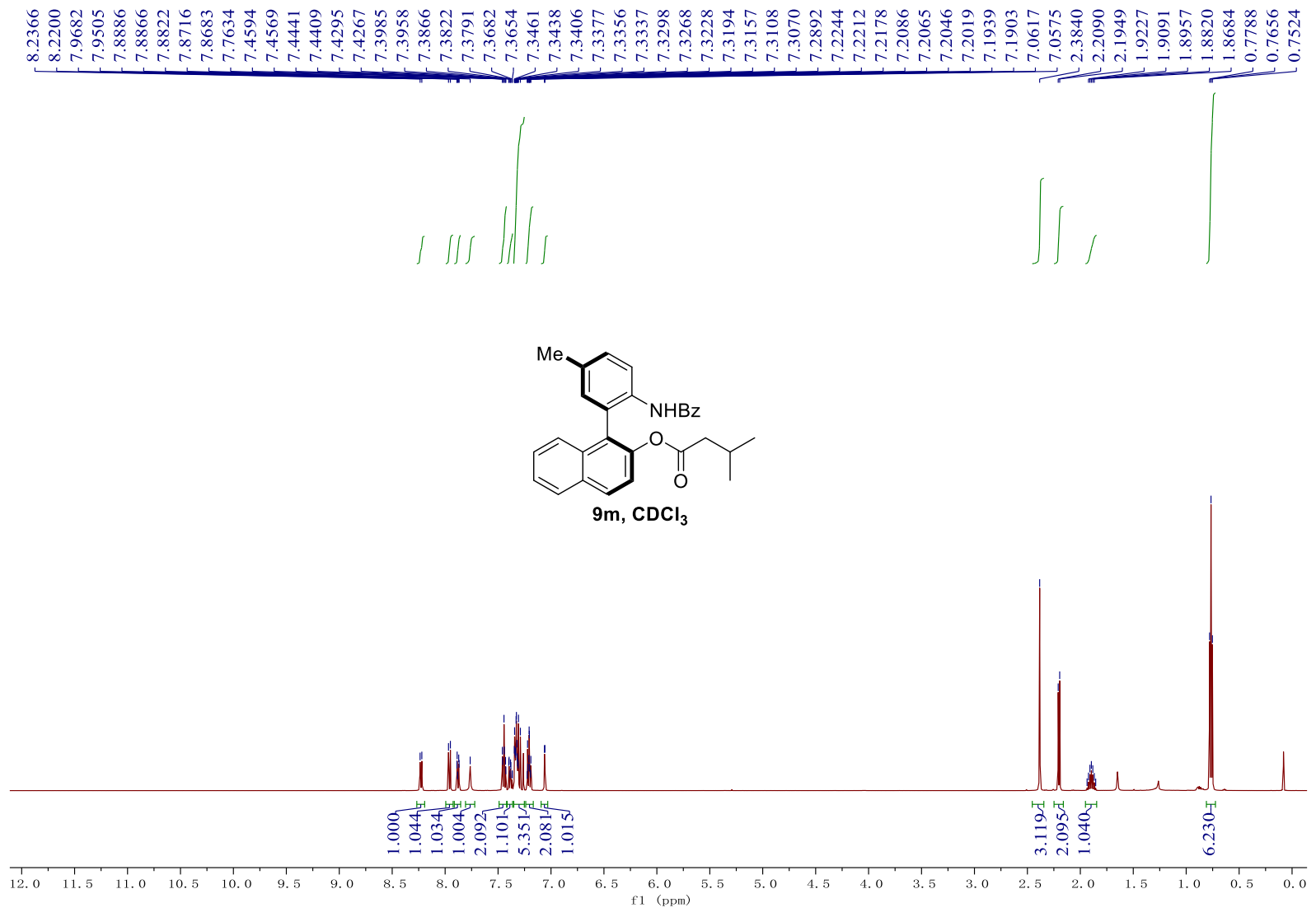




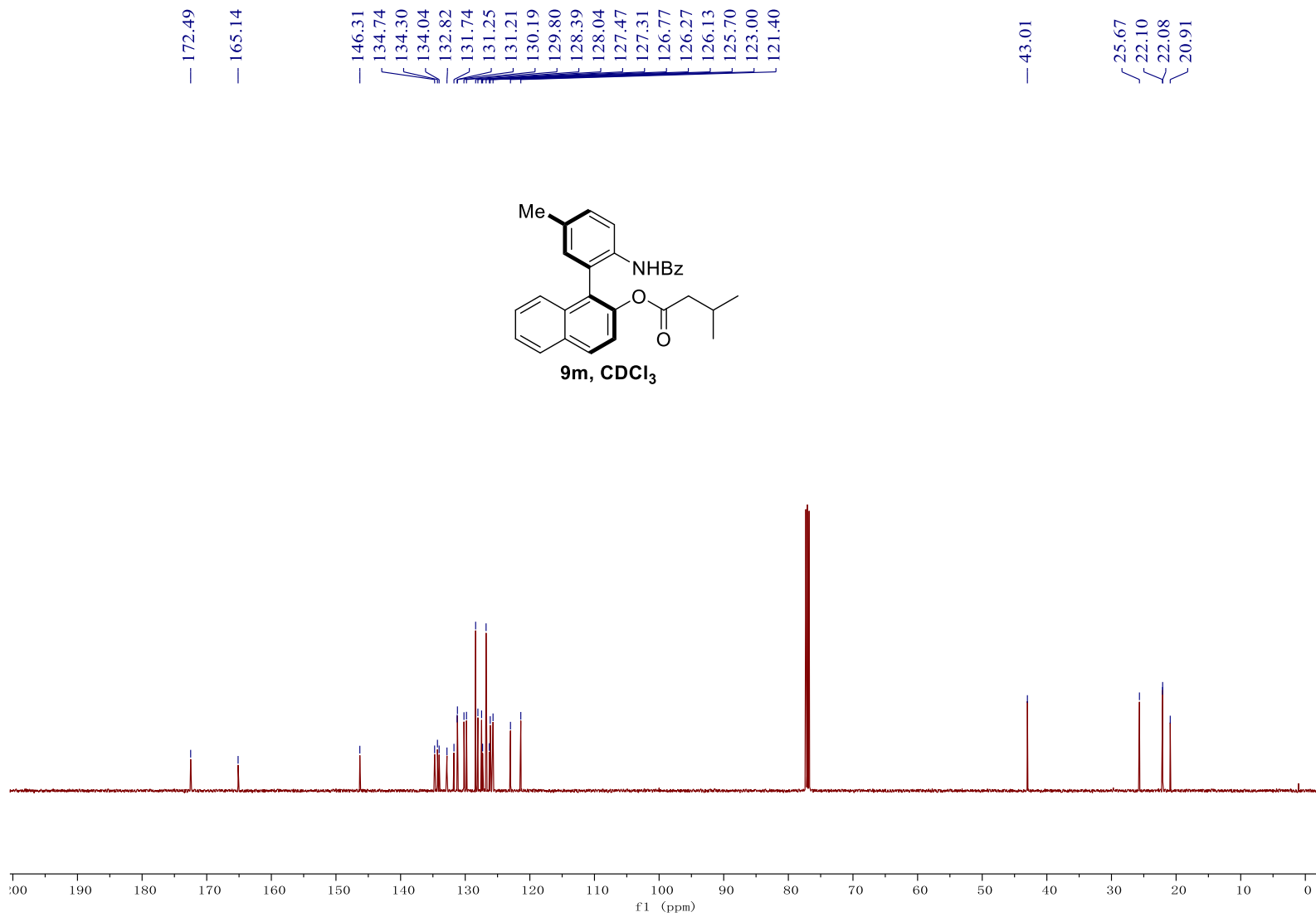


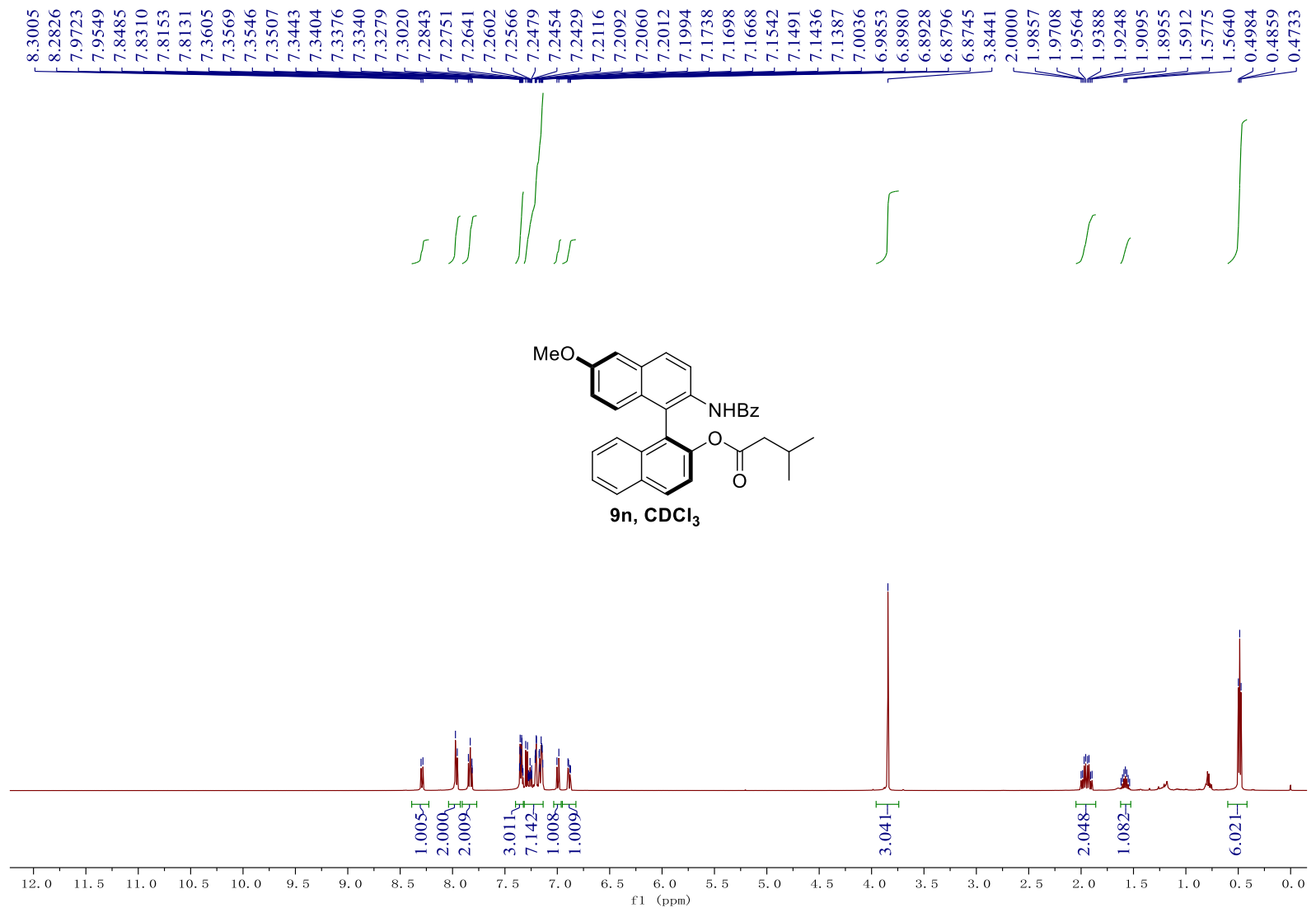


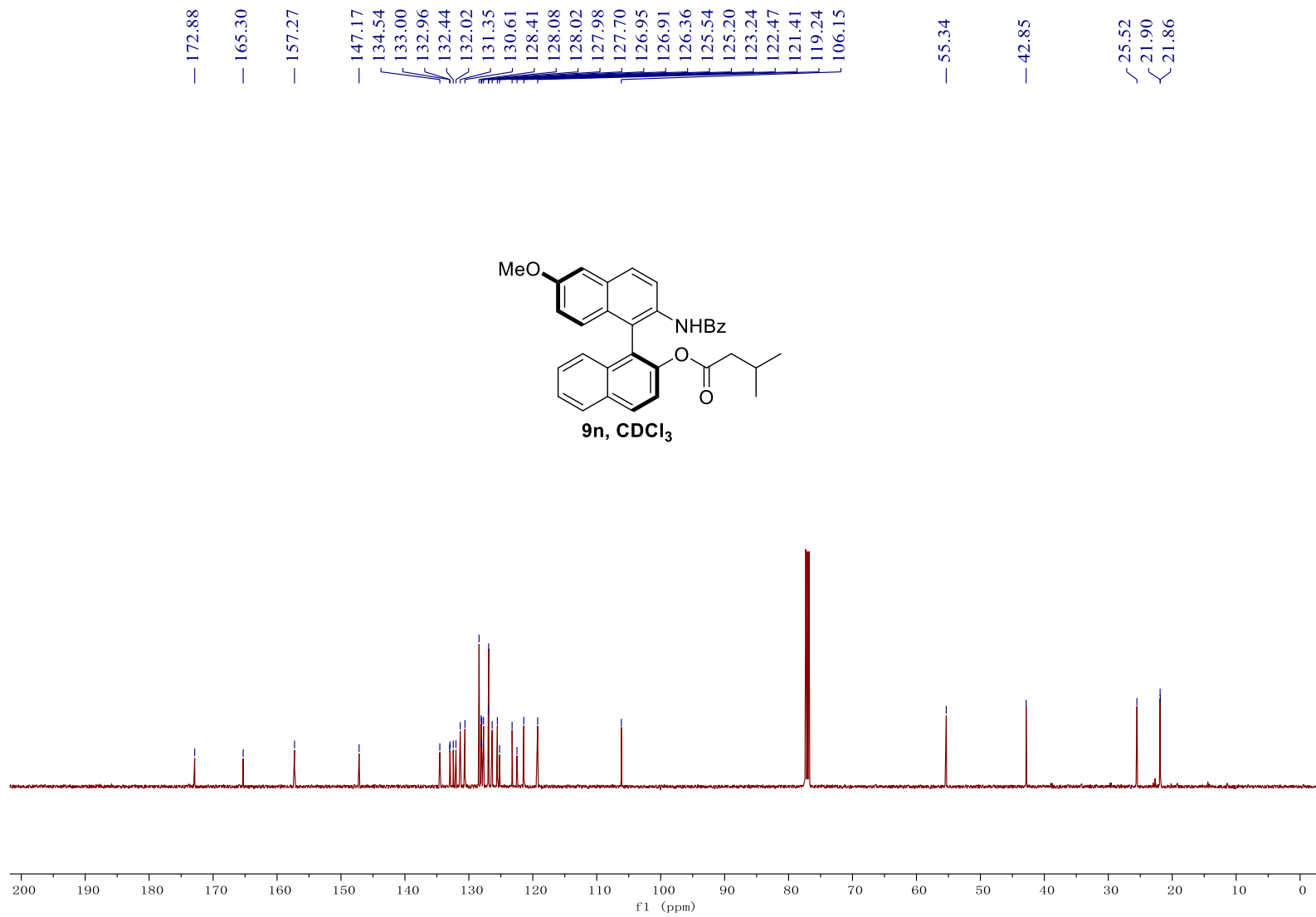


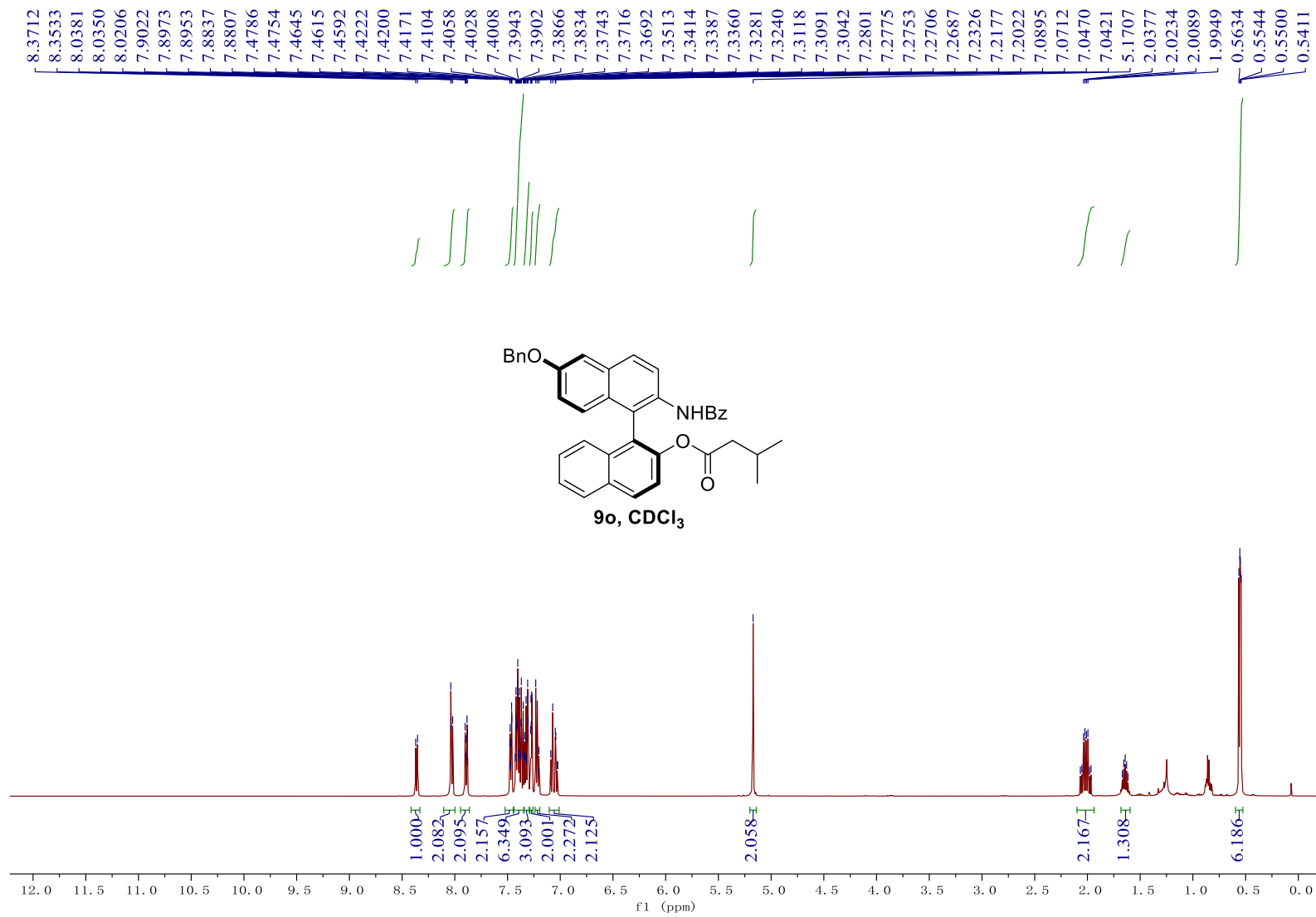


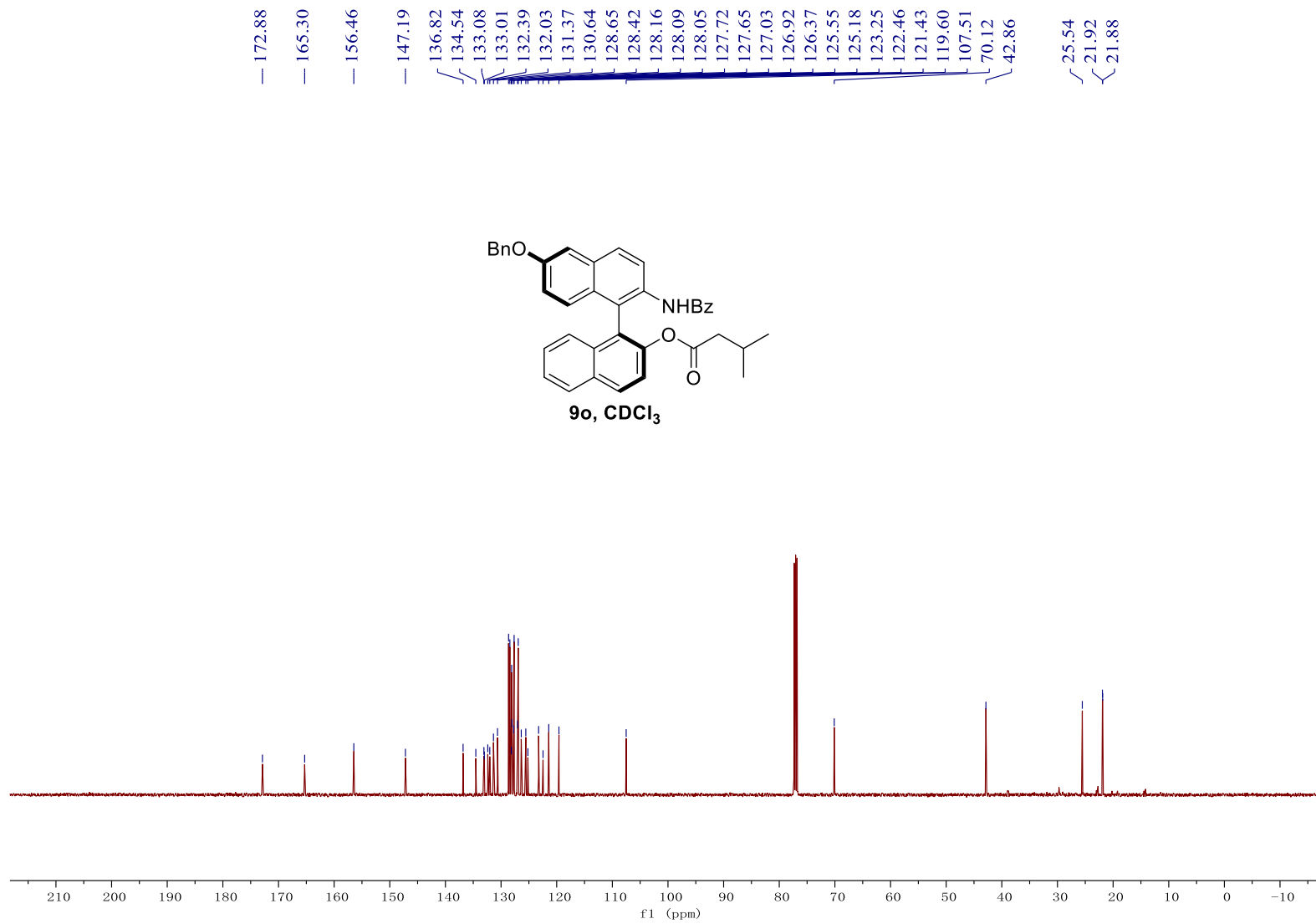


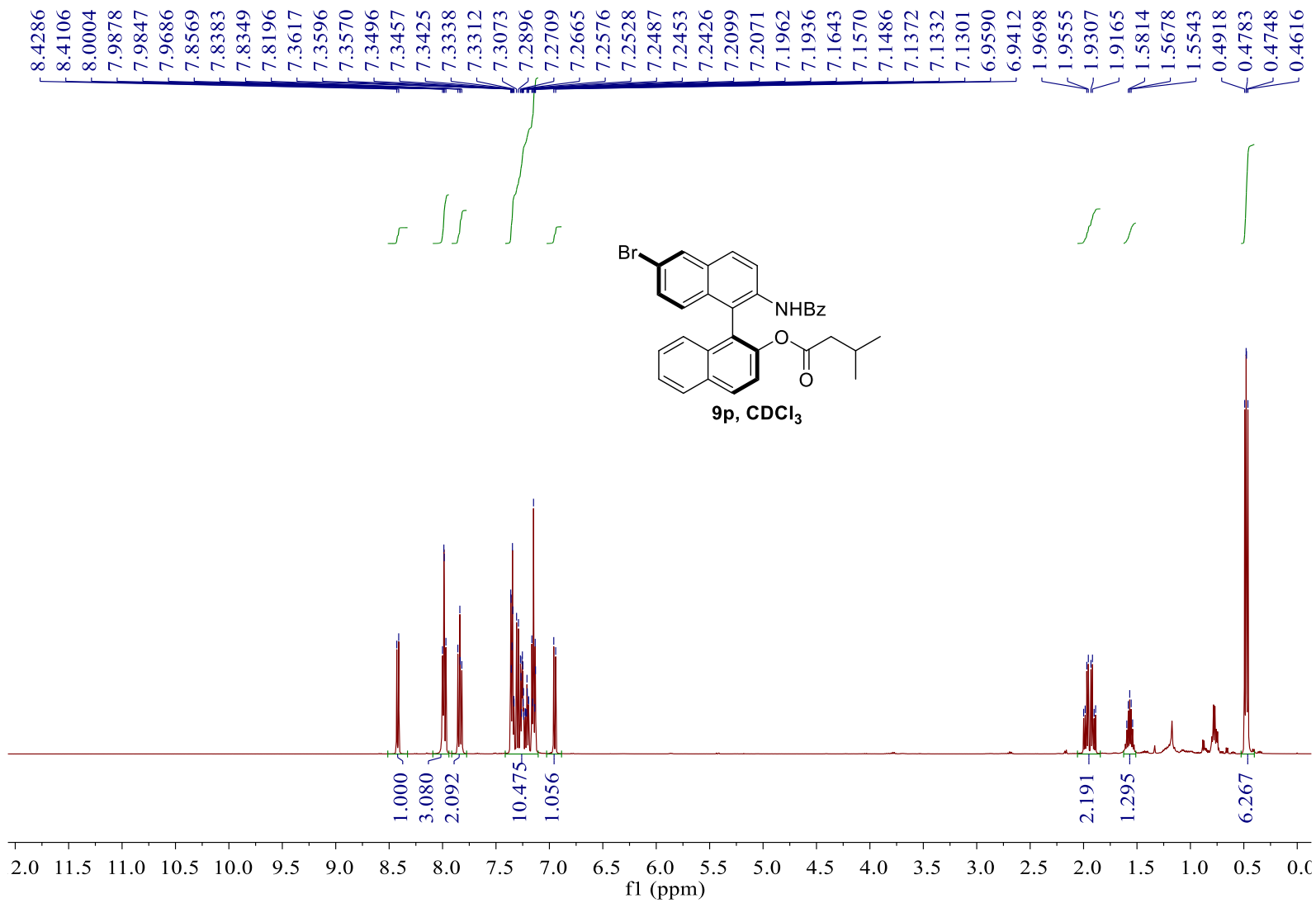


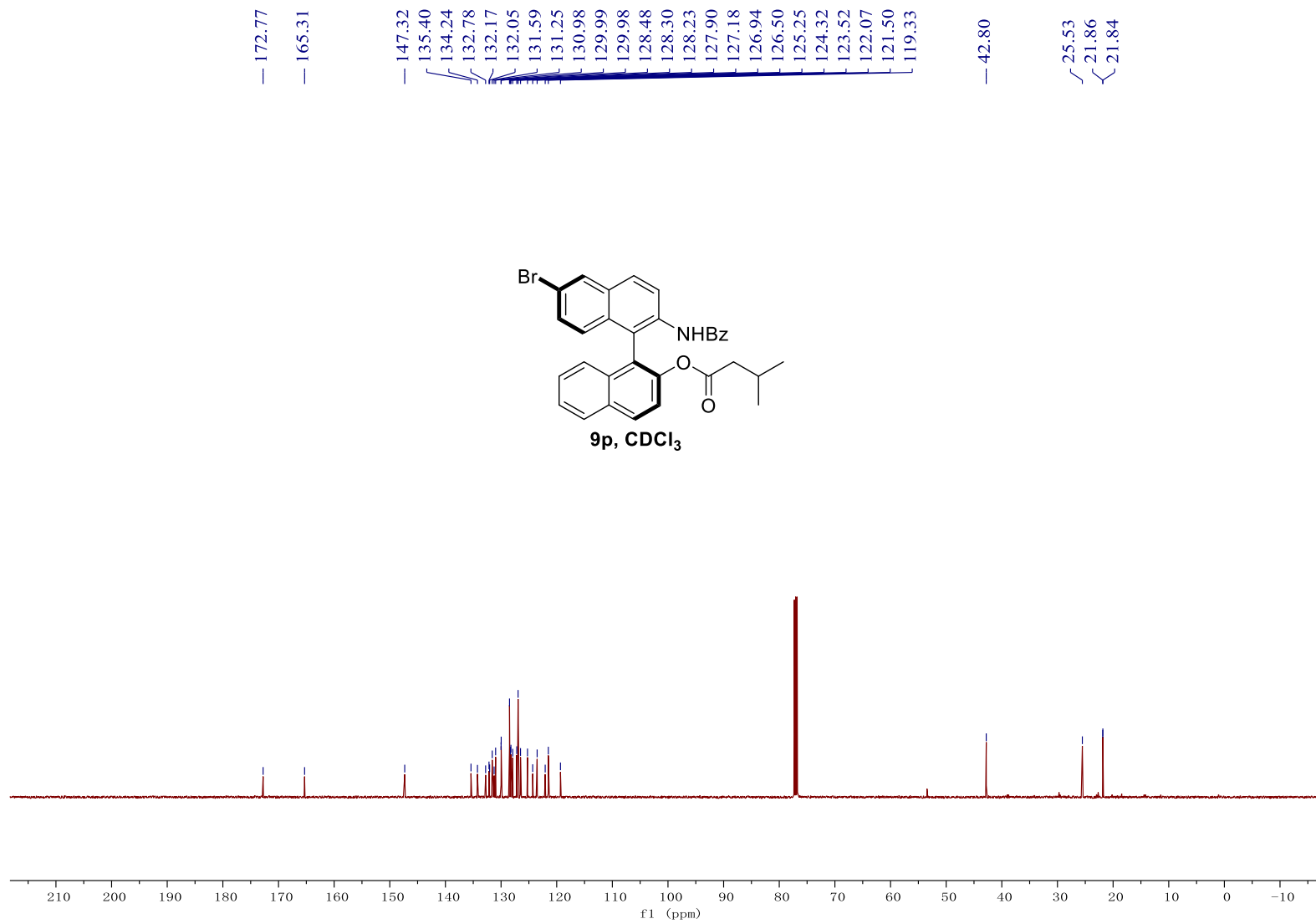


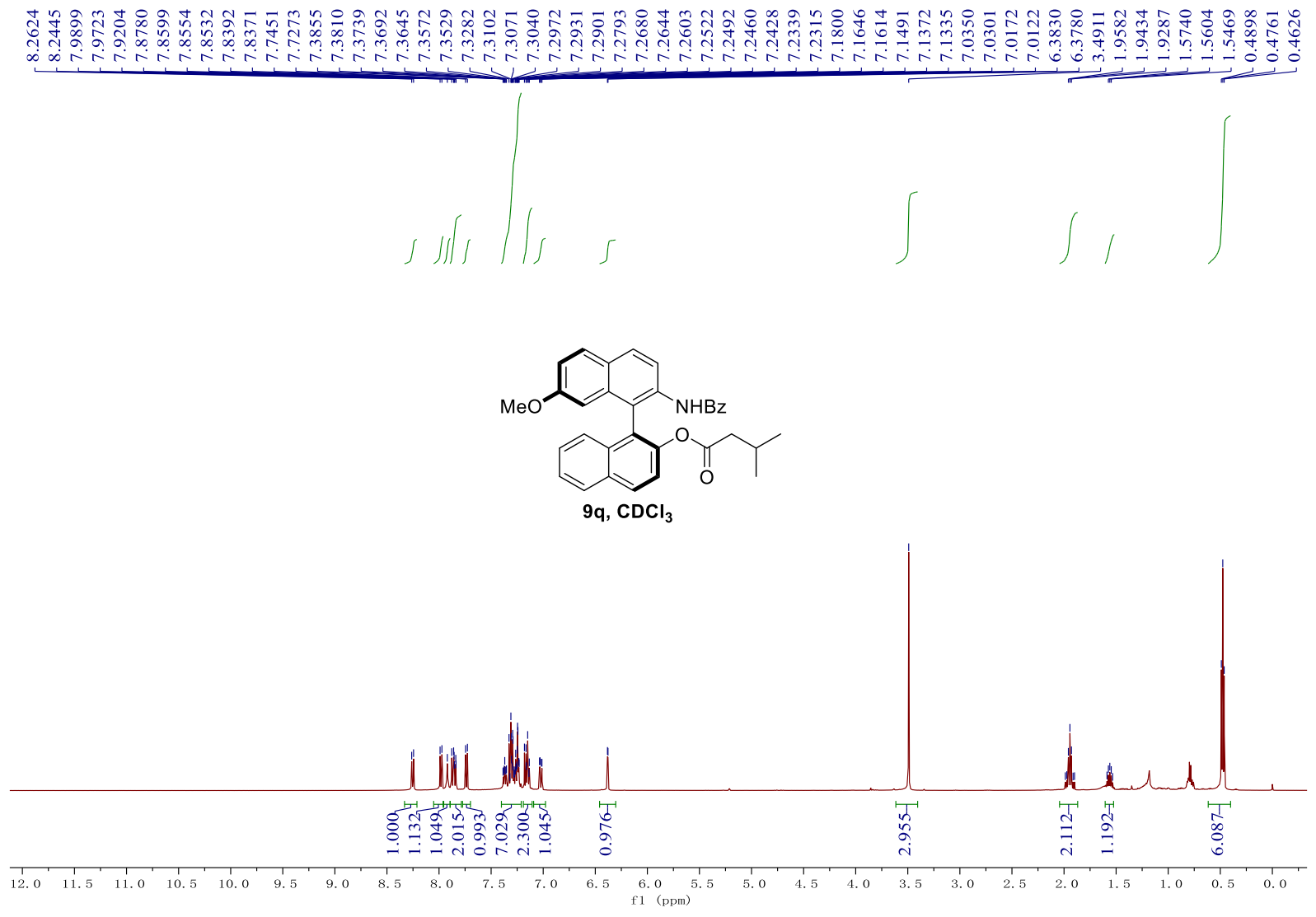






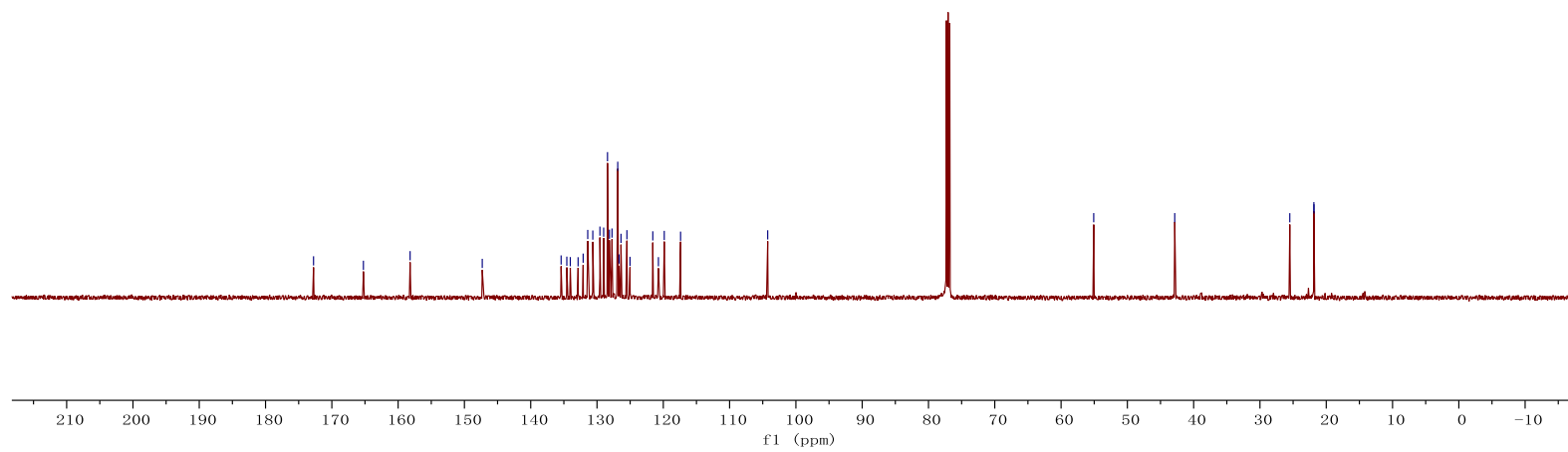
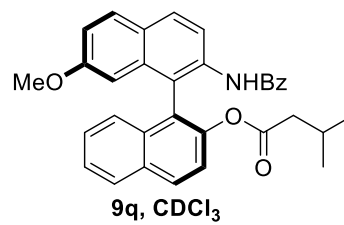


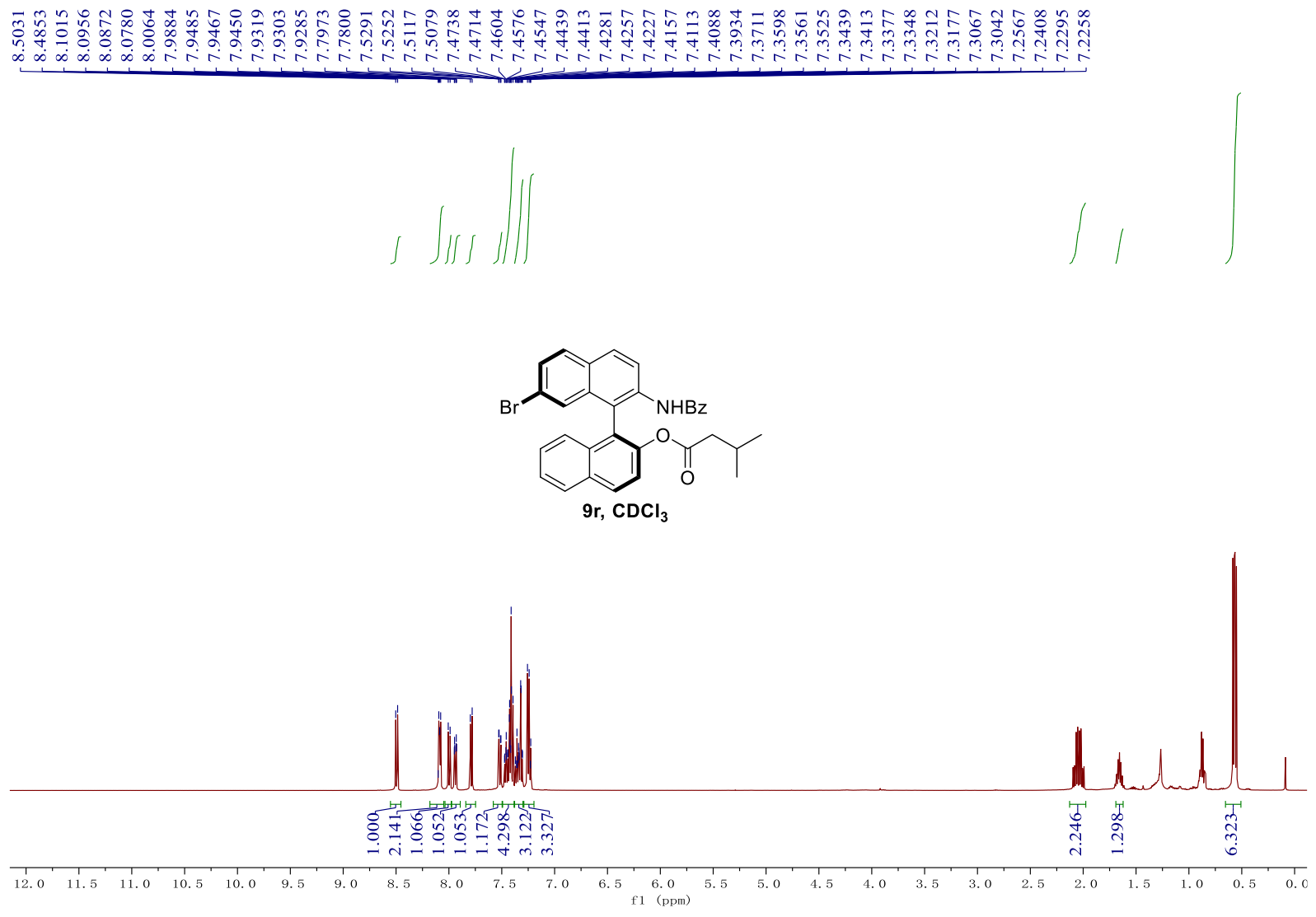


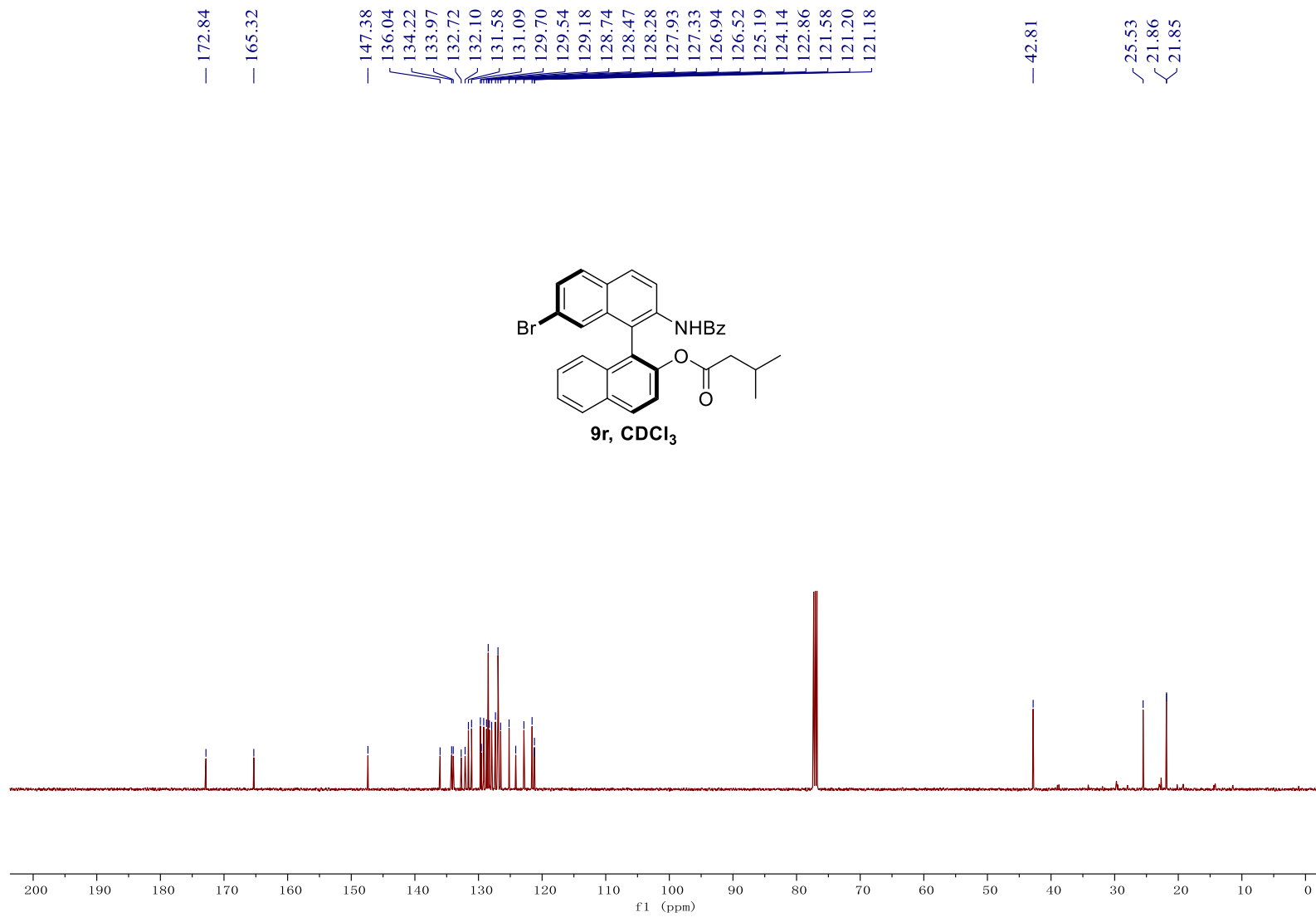


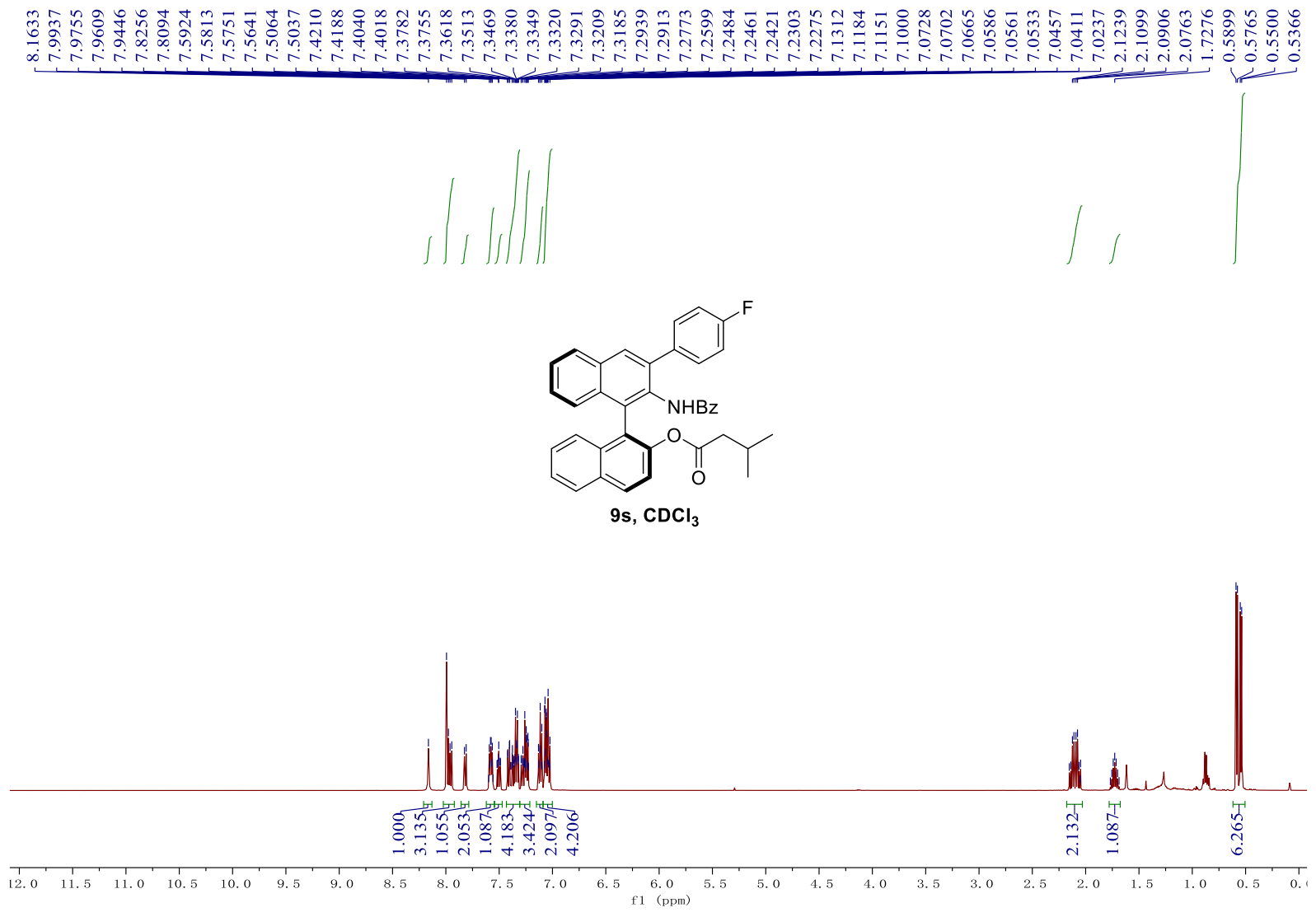


172.76  
 165.24  
 158.19  
 147.31  
 135.41  
 134.55  
 134.03  
 132.85  
 132.09  
 131.40  
 130.63  
 129.56  
 129.00  
 128.42  
 128.13  
 127.74  
 126.87  
 126.67  
 126.38  
 125.49  
 125.02  
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 117.39  
 104.25  
 55.08  
 42.85  
 25.50  
 21.87  
 21.85



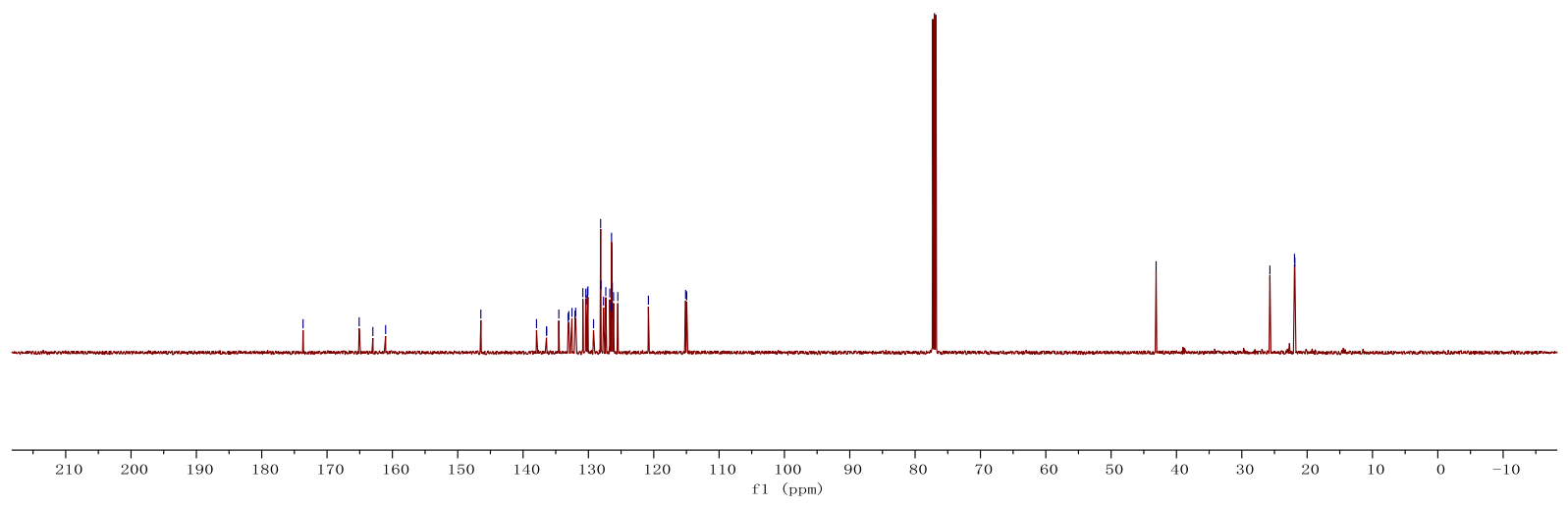
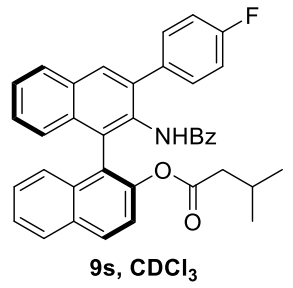


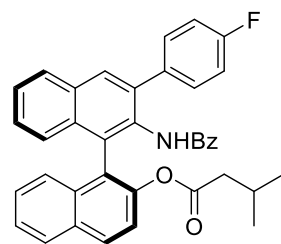




— 173.67  
— 165.08  
— 162.99  
— 161.04

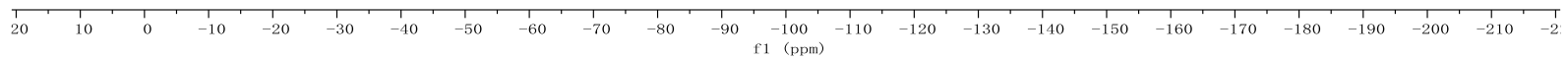
— 146.46  
— 137.94  
— 136.42  
— 136.40  
— 134.53  
— 133.09  
— 133.00  
— 132.52  
— 132.05  
— 131.95  
— 130.85  
— 130.39  
— 130.32  
— 130.14  
— 130.08  
— 129.22  
— 128.13  
— 128.09  
— 127.68  
— 127.34  
— 126.72  
— 126.48  
— 126.46  
— 126.38  
— 126.34  
— 126.16  
— 125.50  
— 120.82  
— 115.13  
— 114.96  
— 43.12  
— 25.70  
— 21.94  
— 21.88

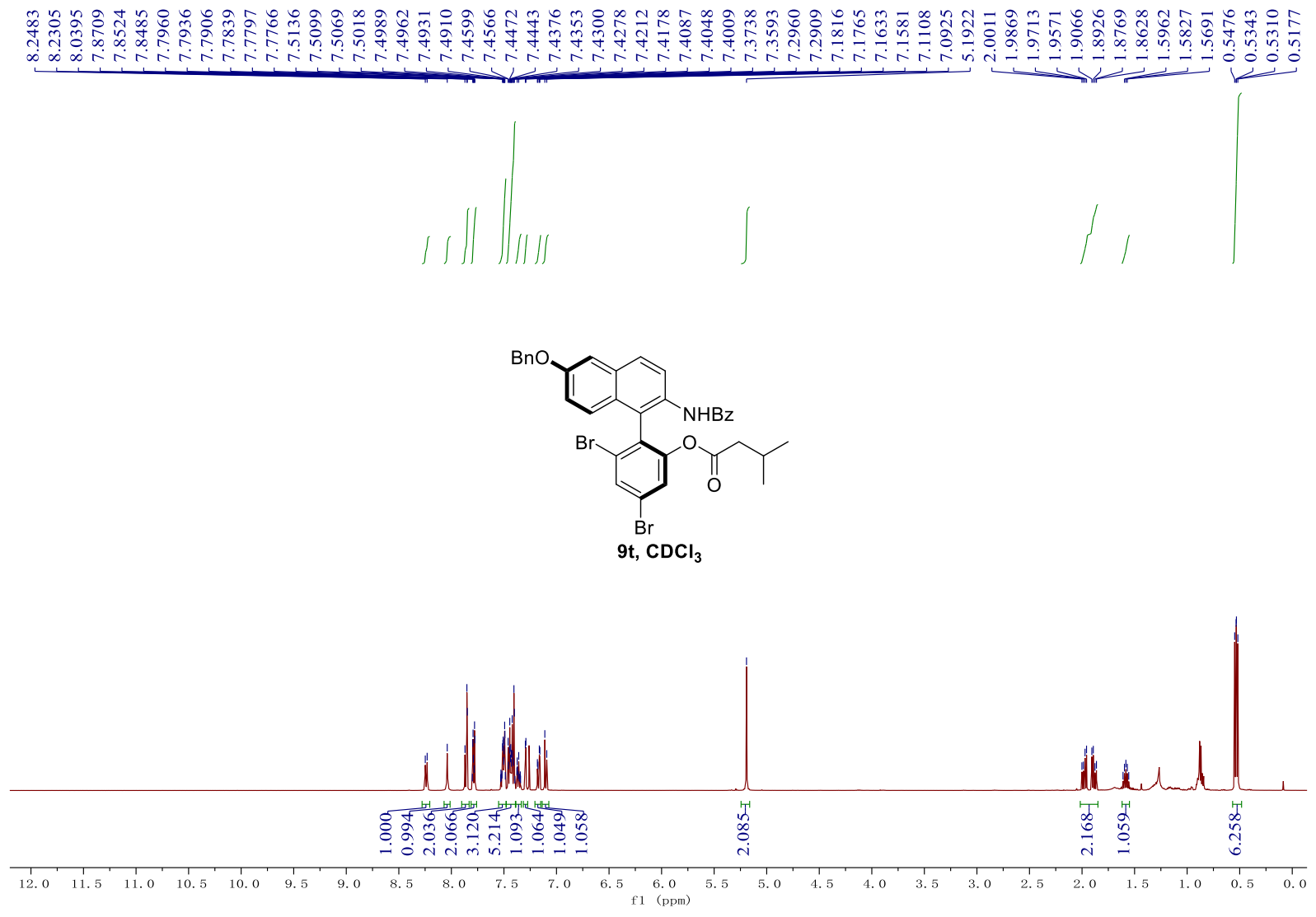


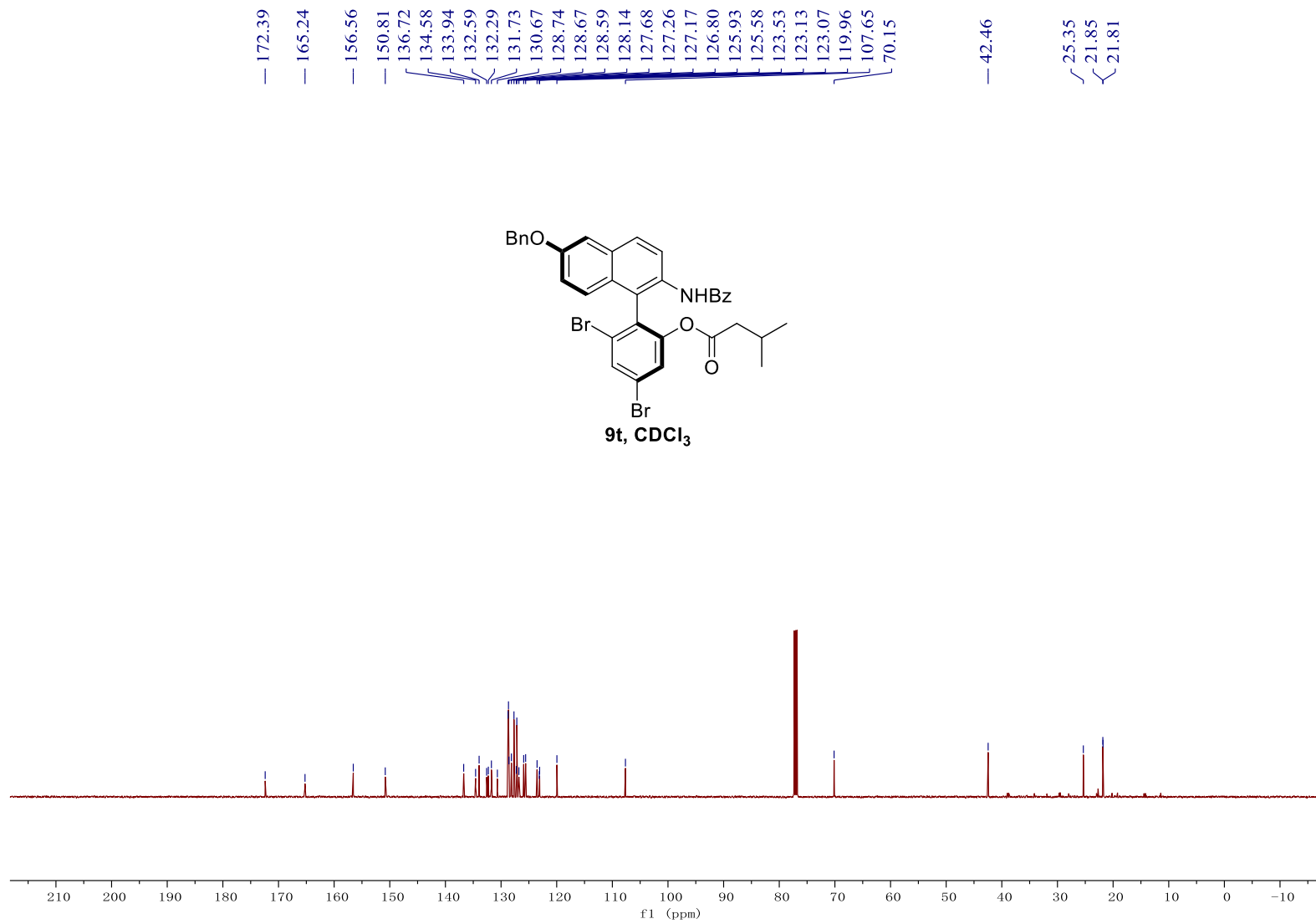


9s, CDCl<sub>3</sub>

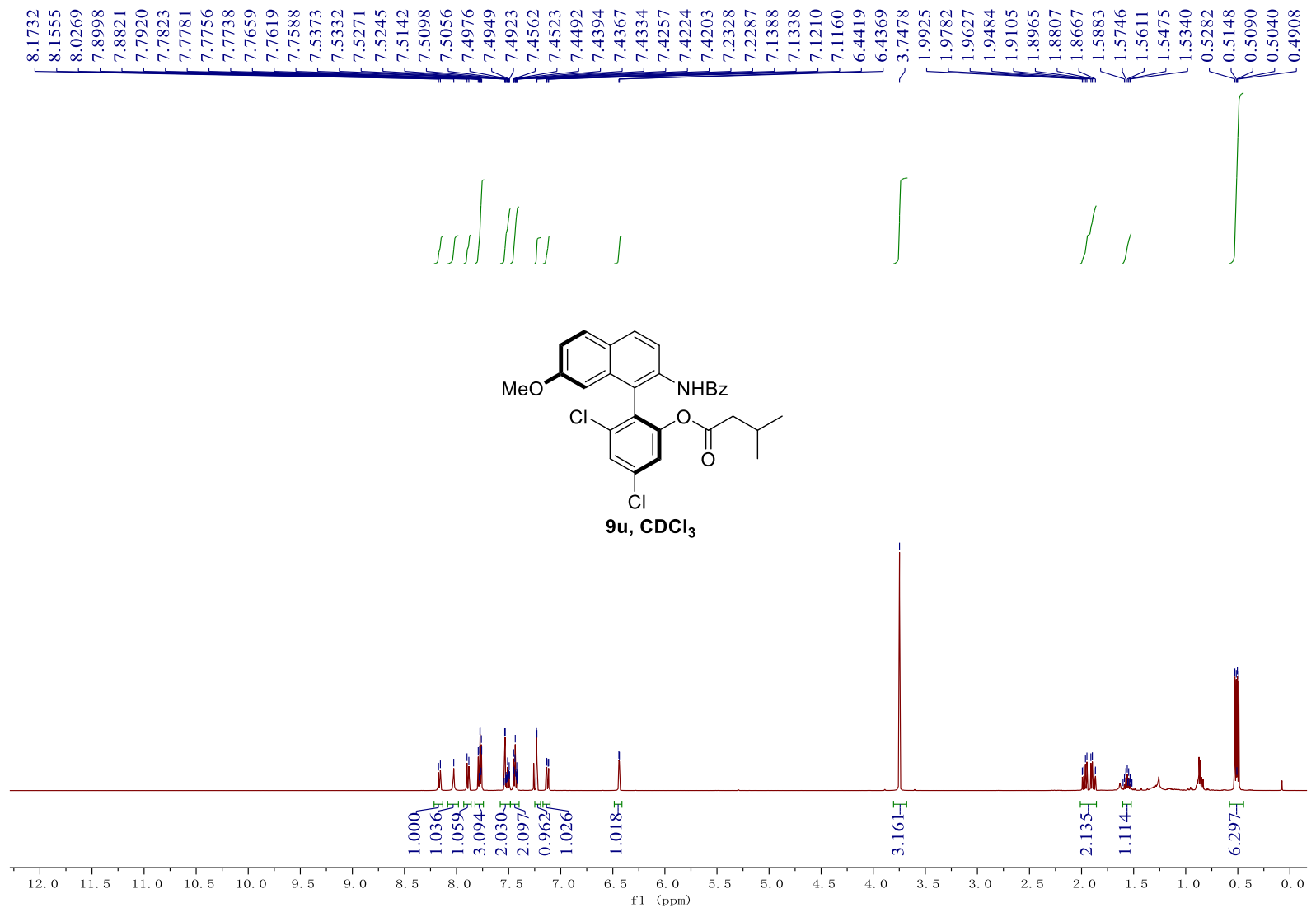
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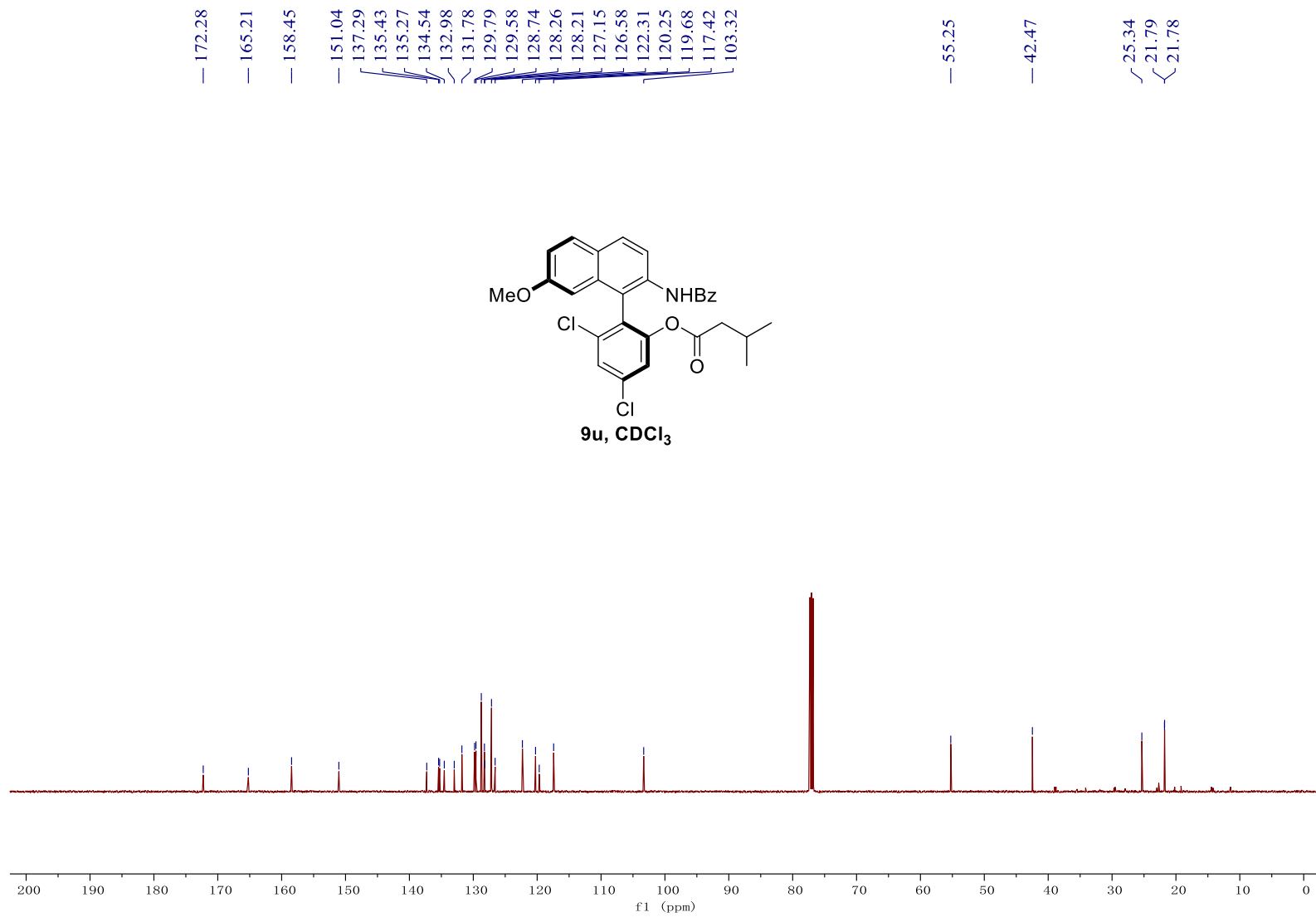


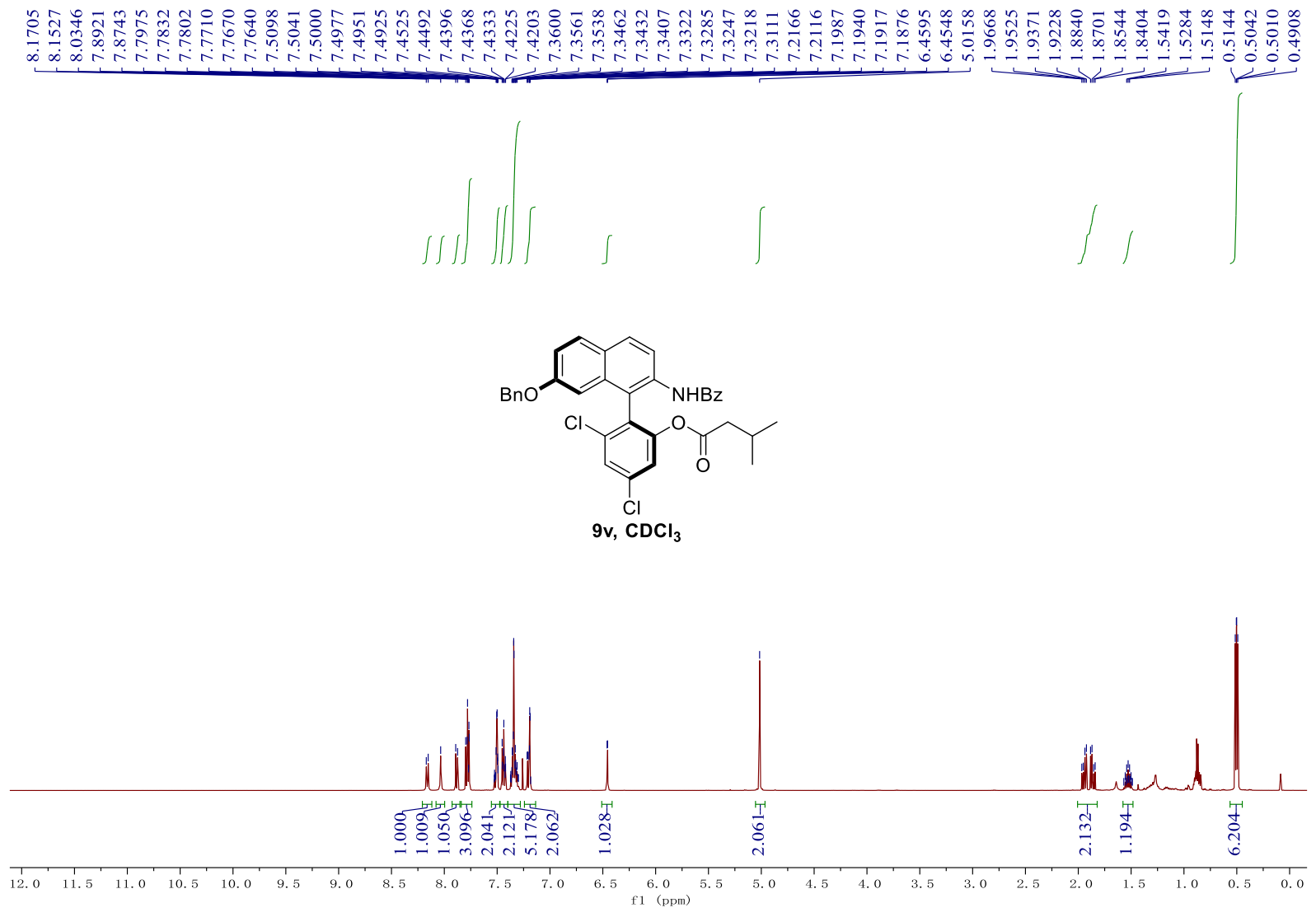


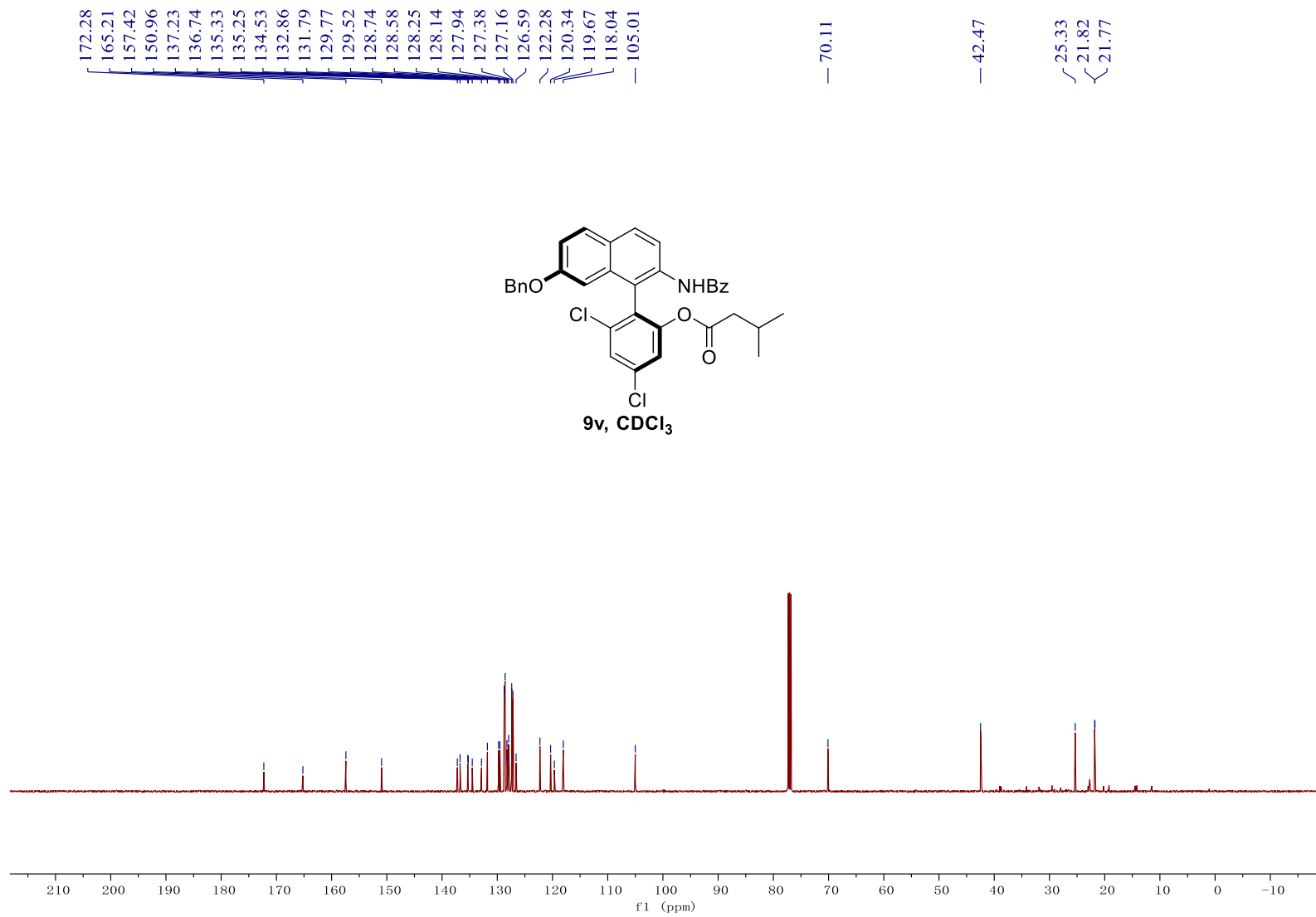


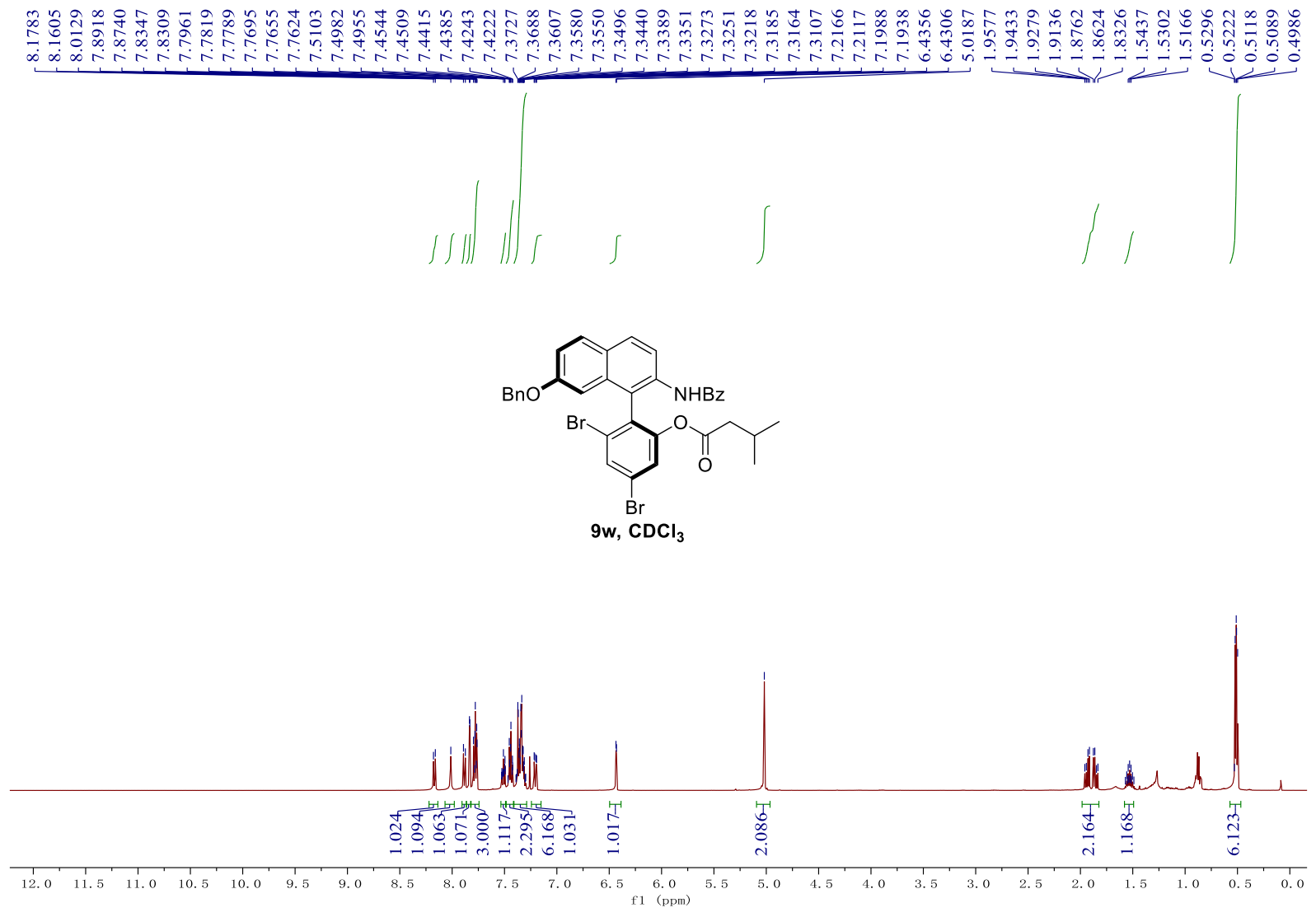


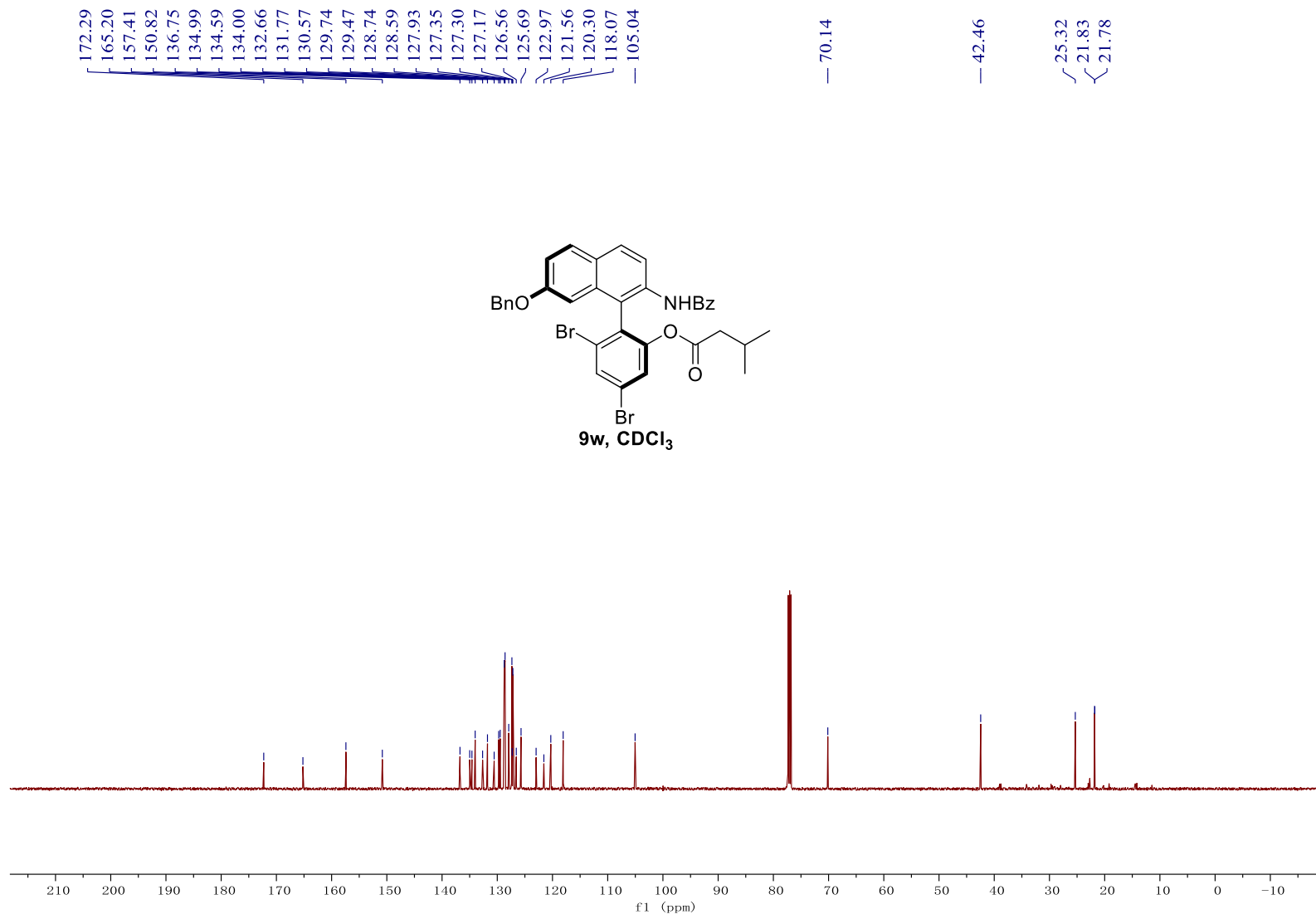


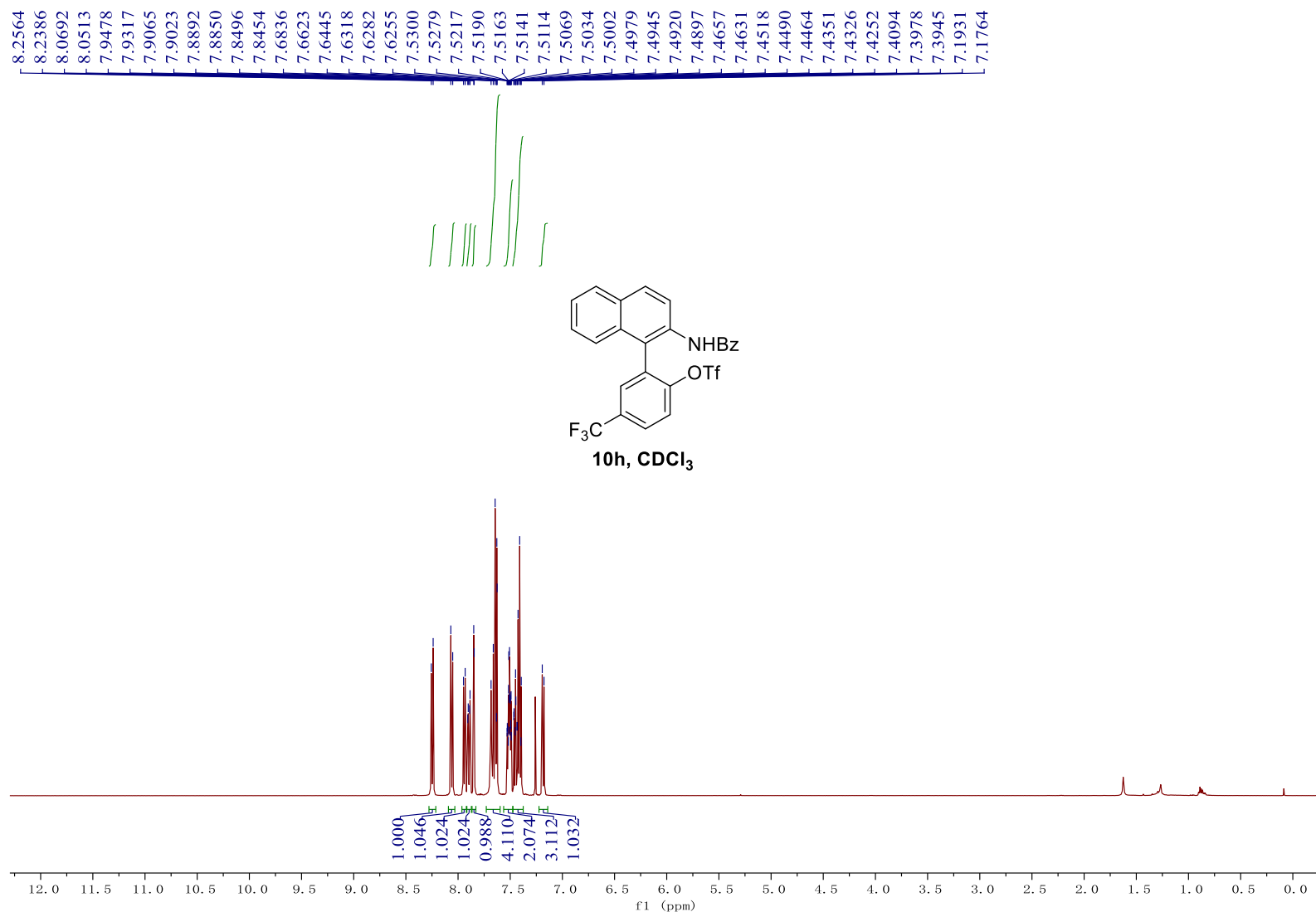


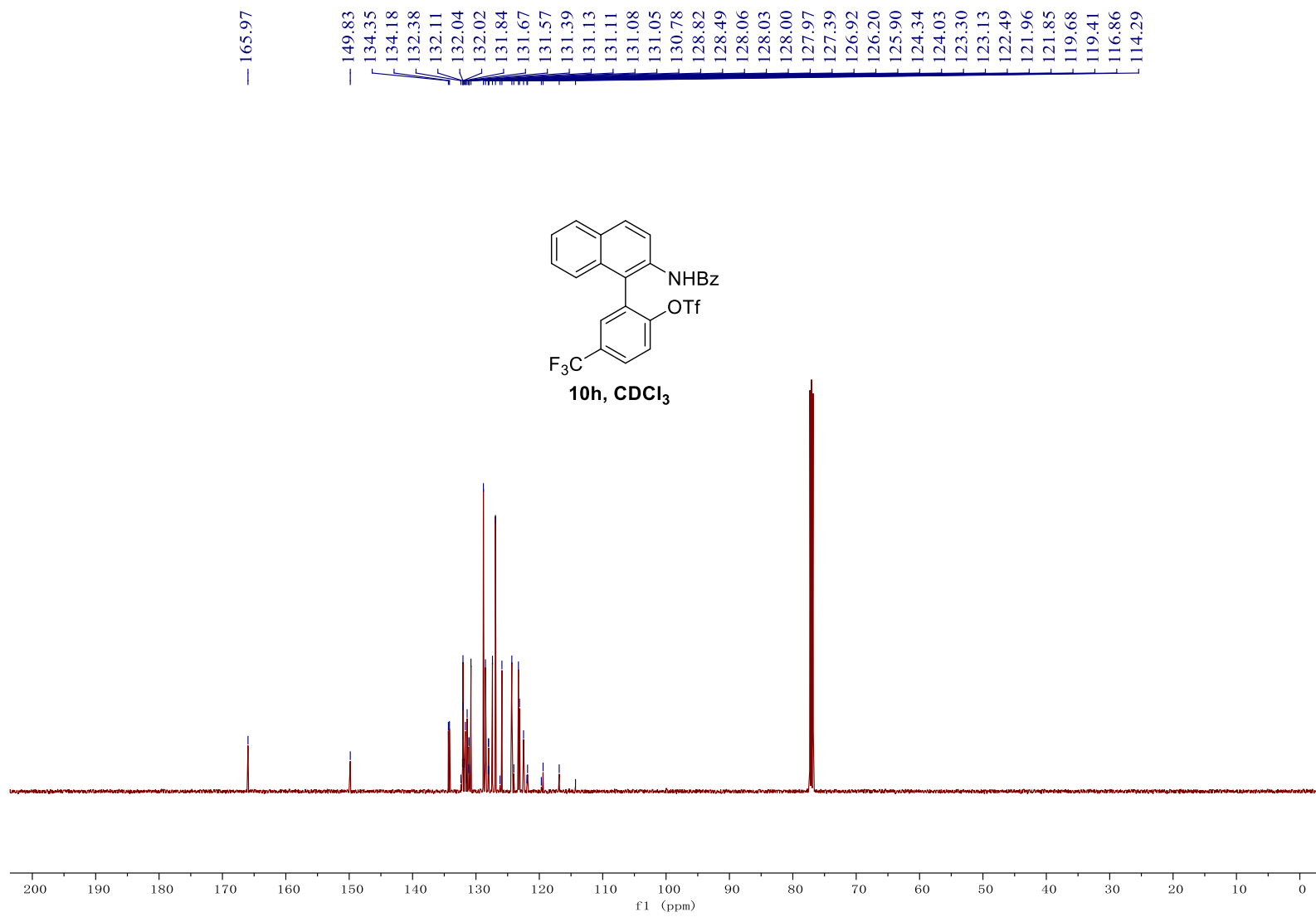




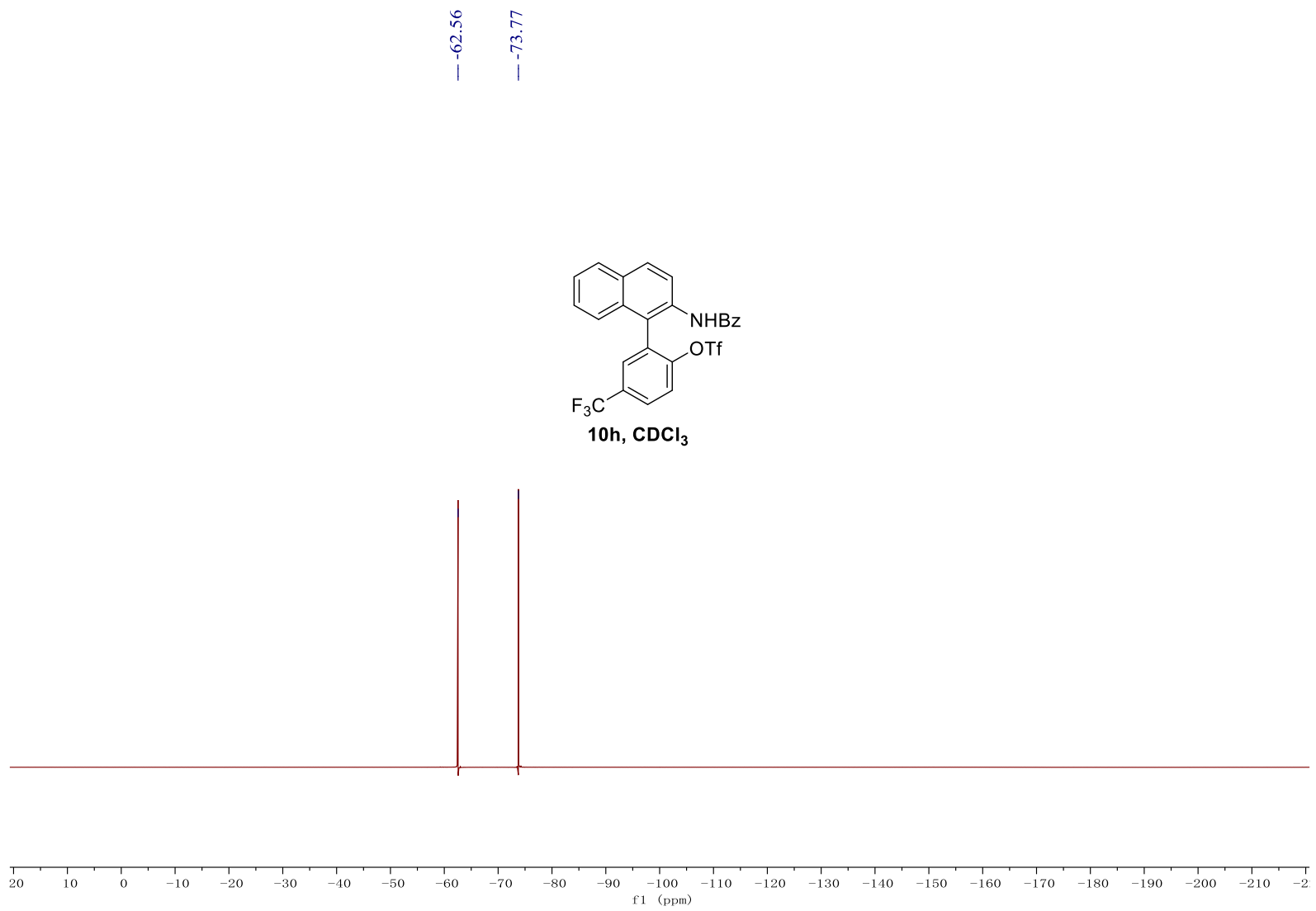


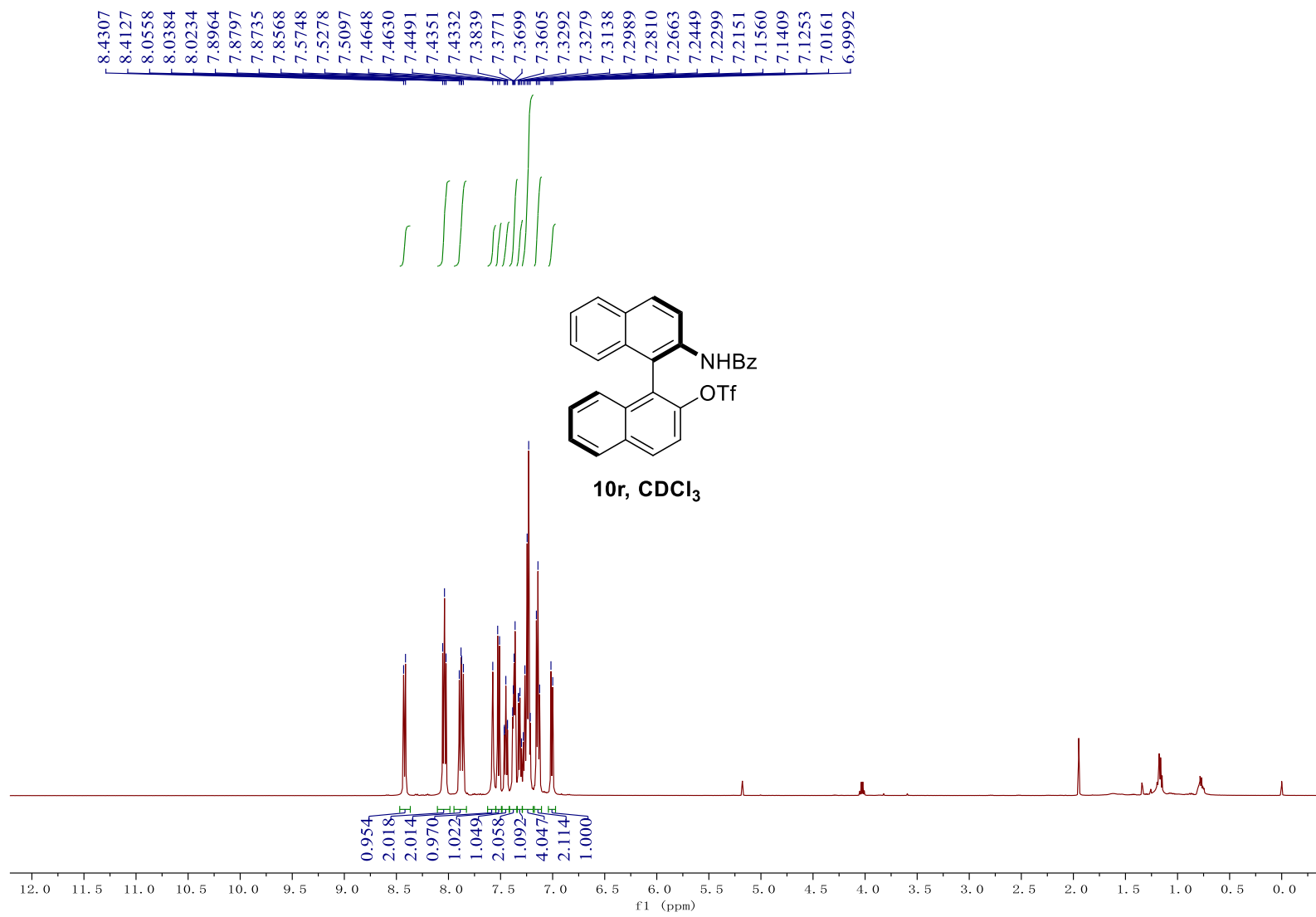


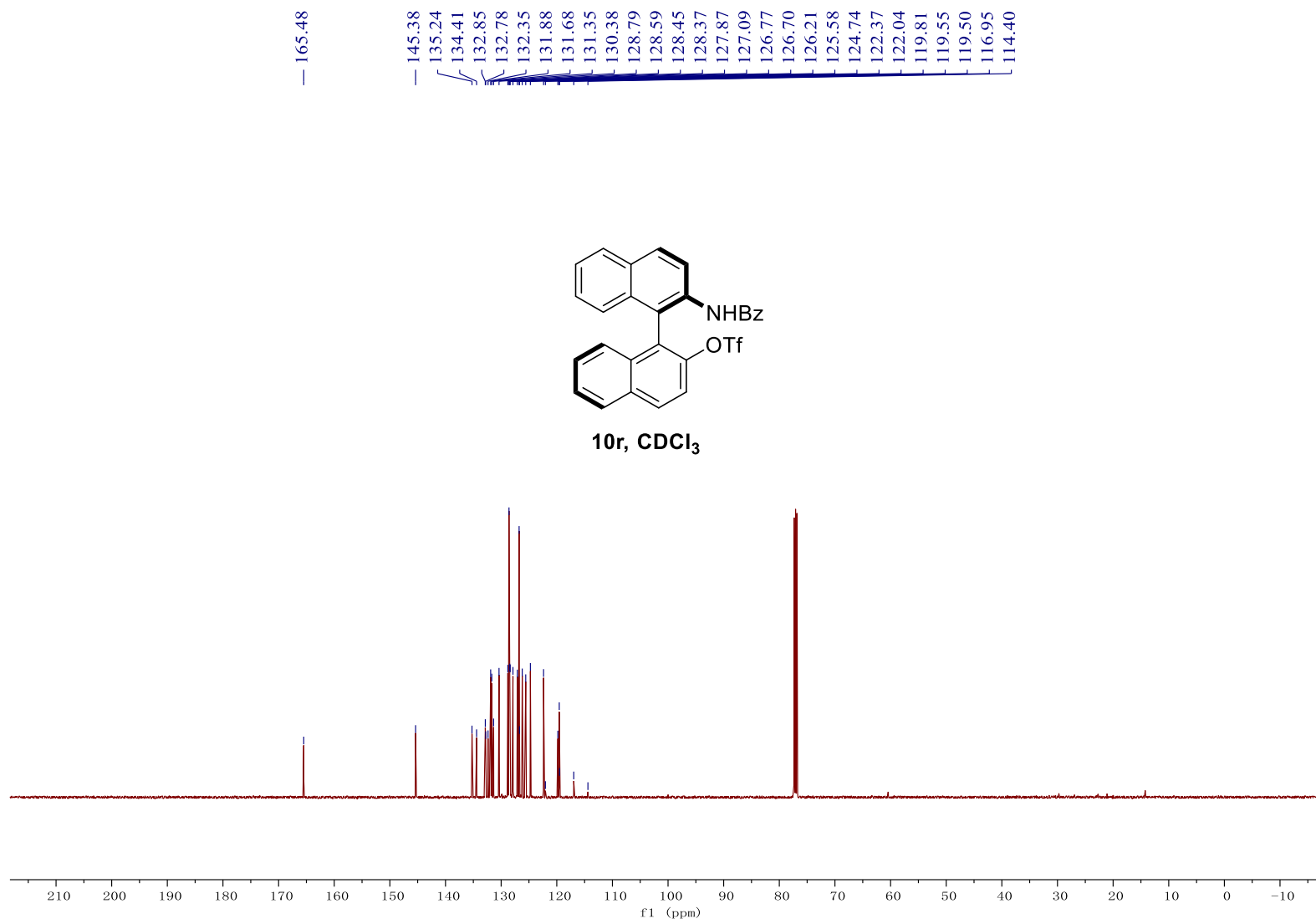




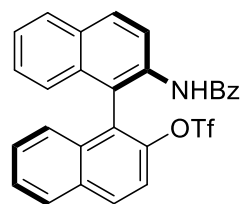




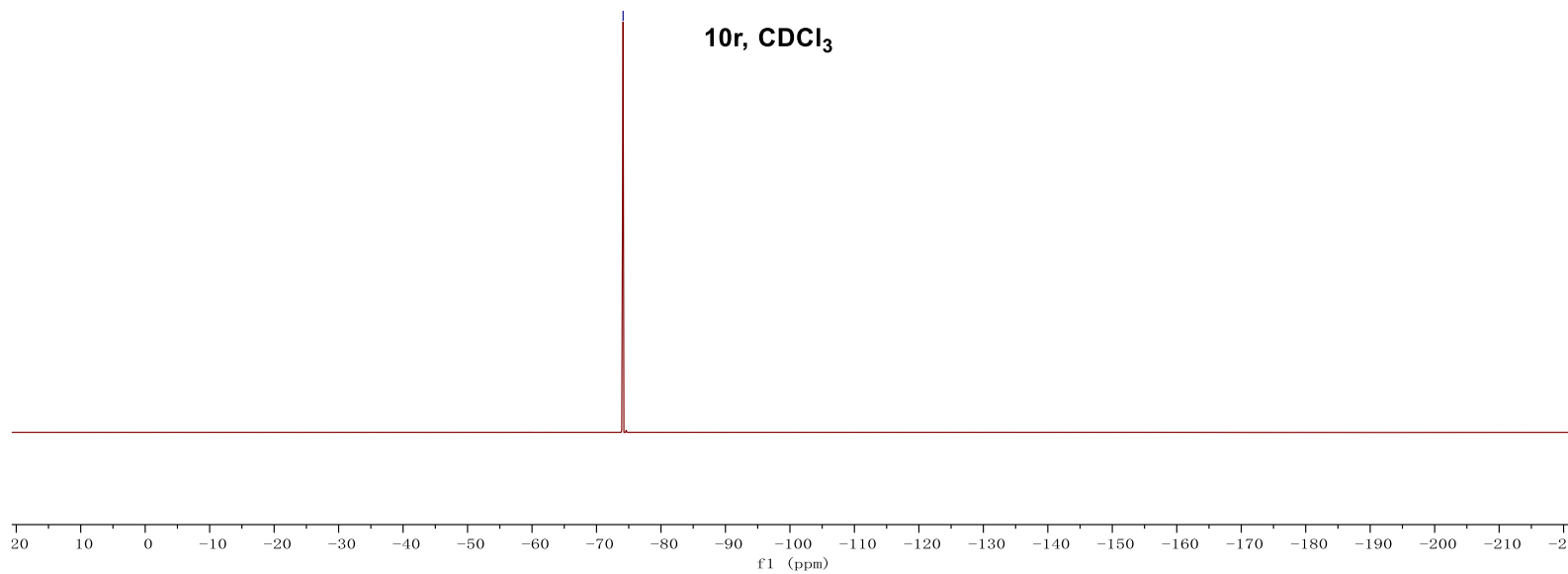


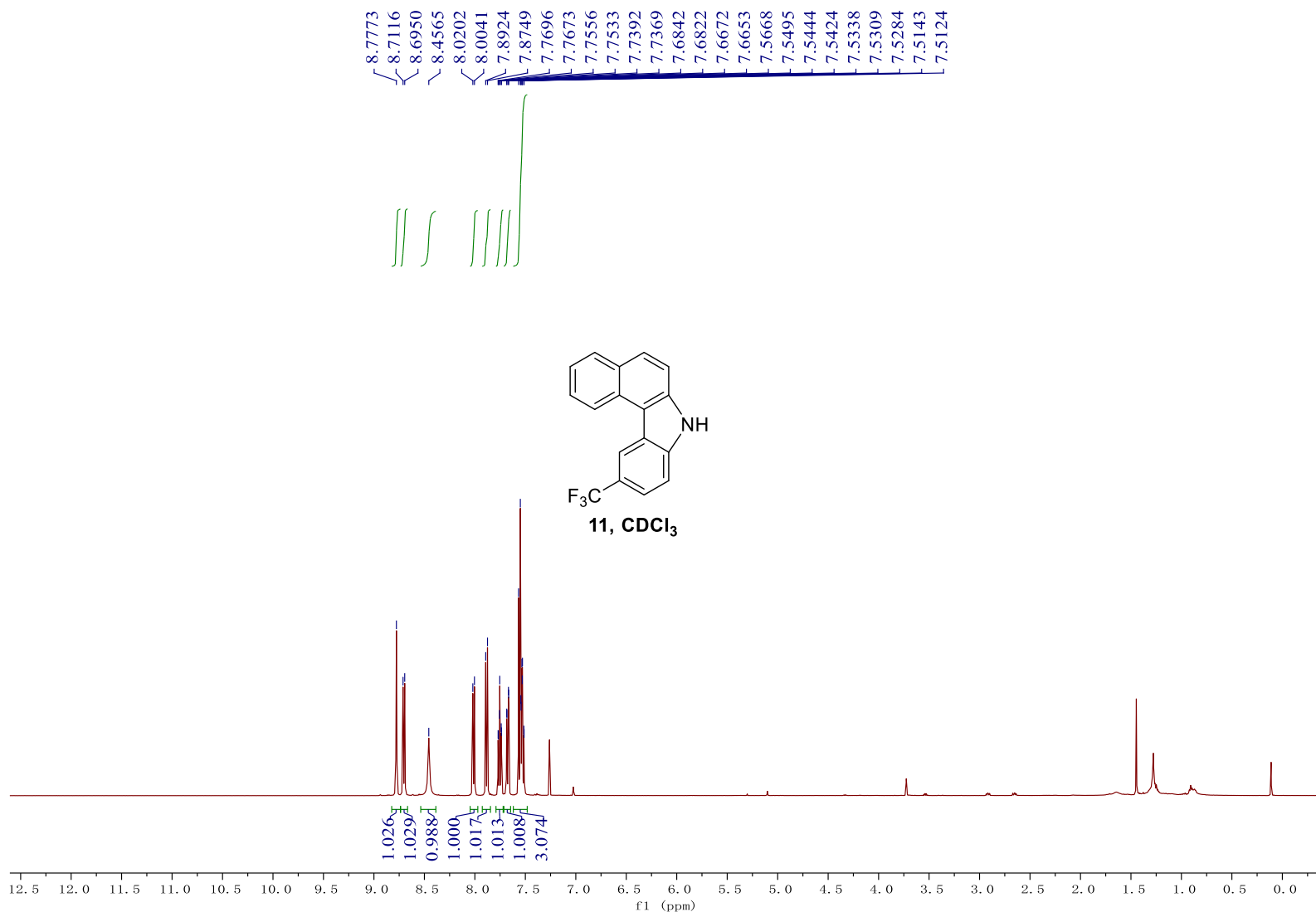


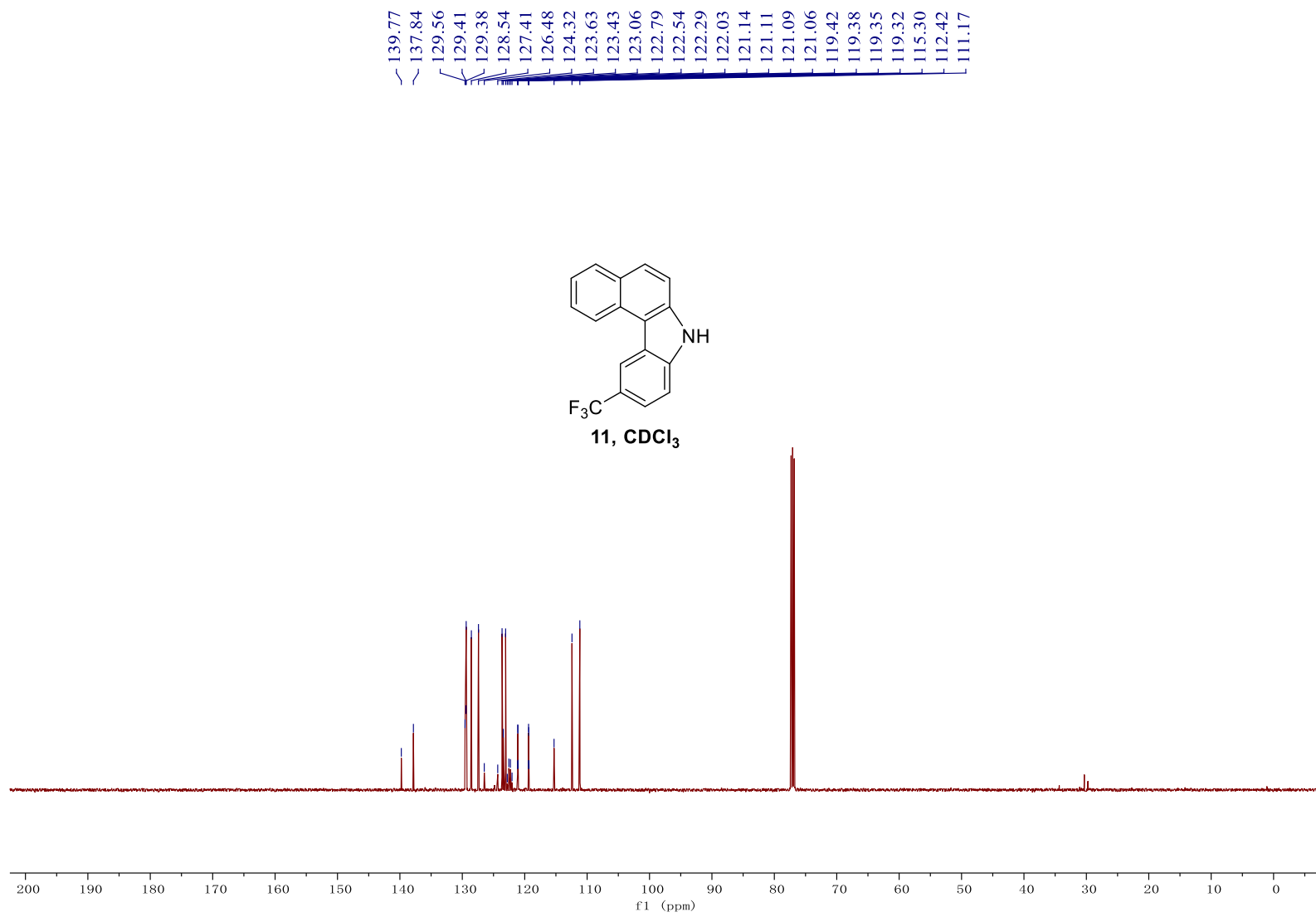
— 74.12



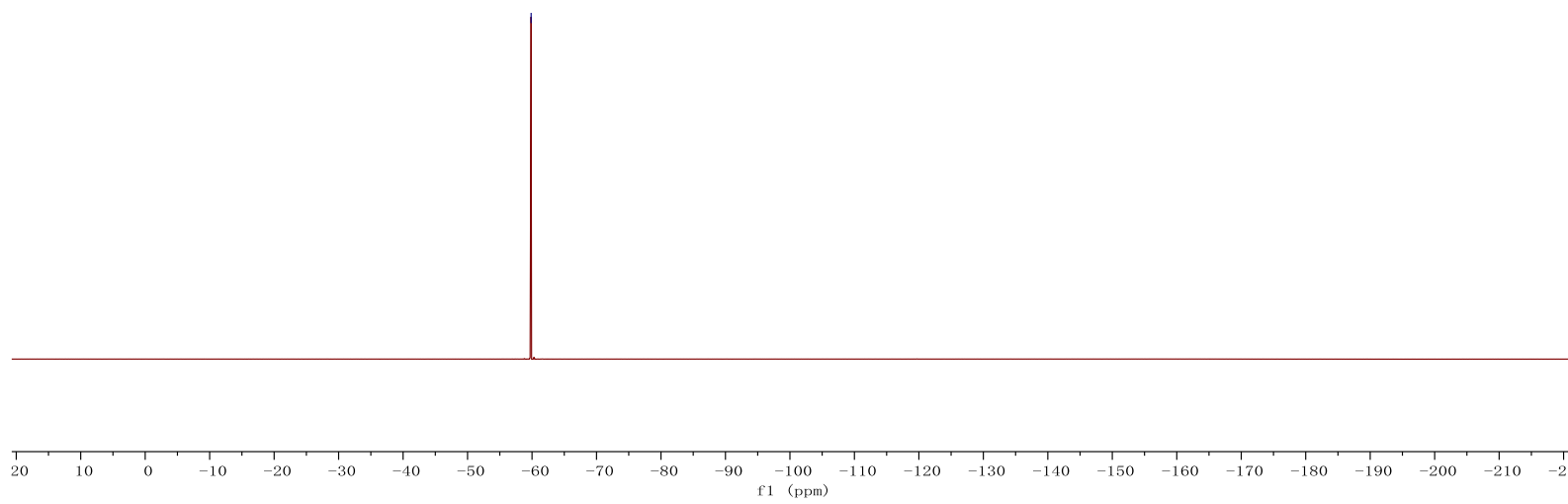
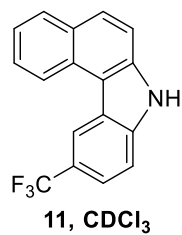
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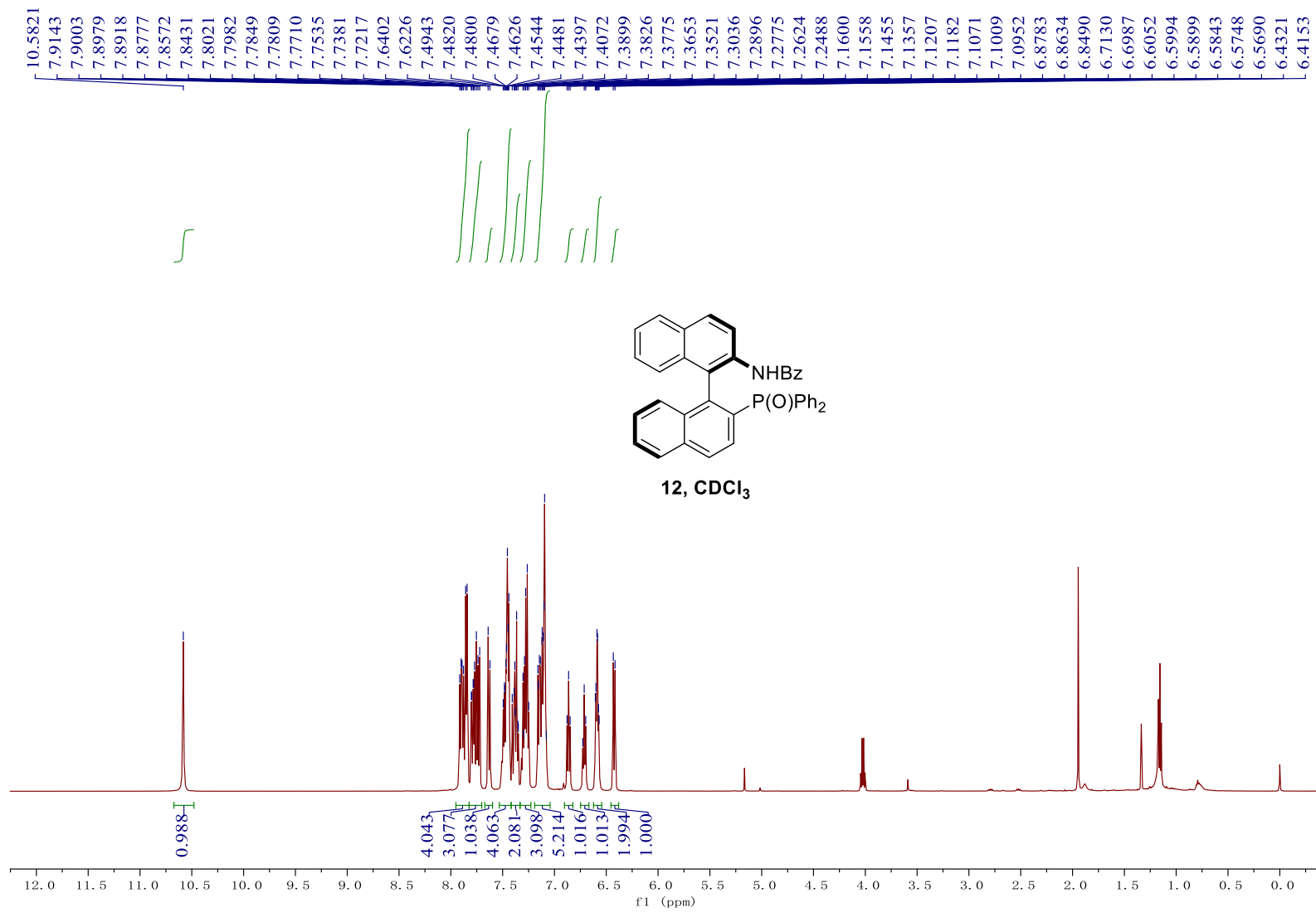




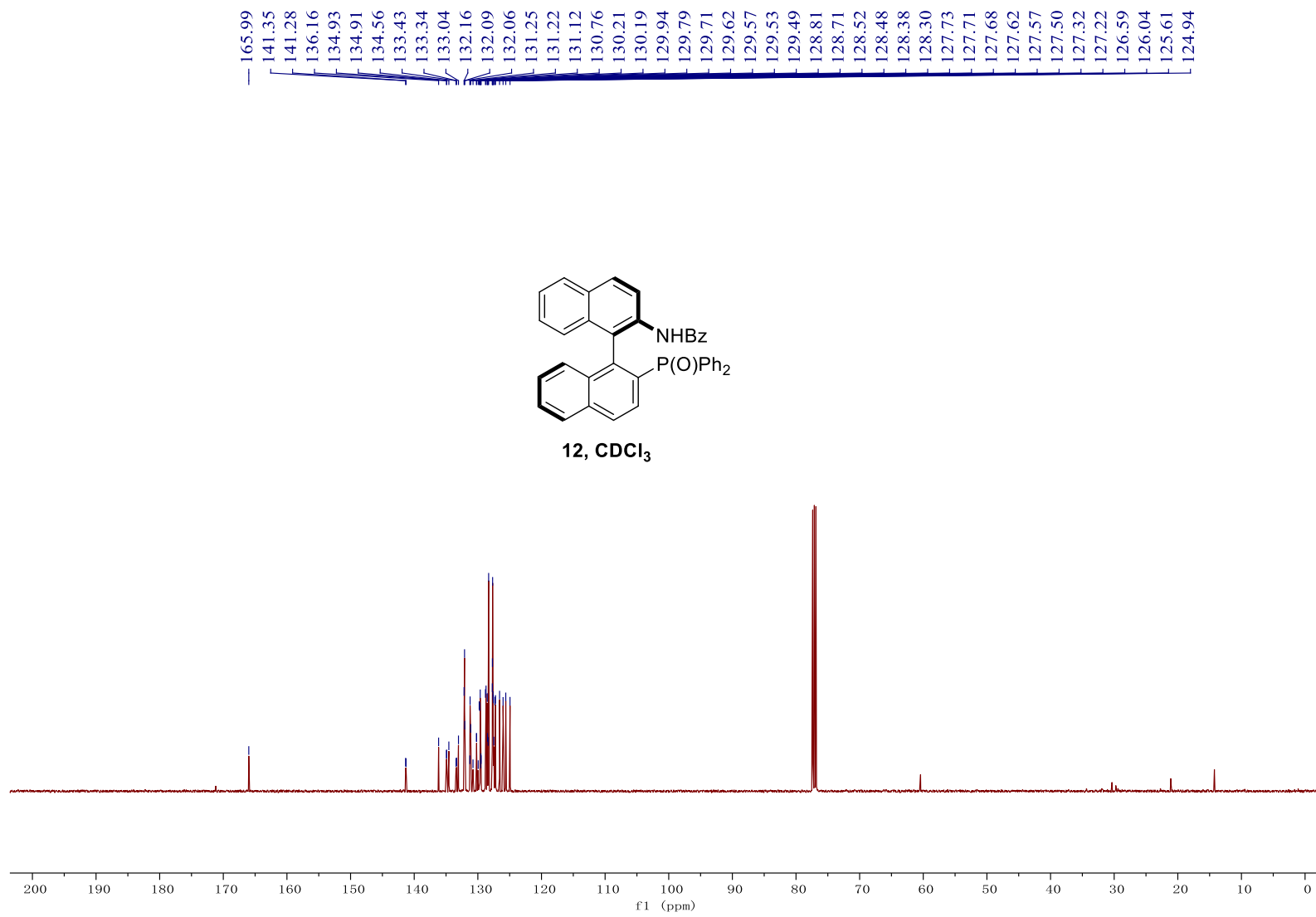


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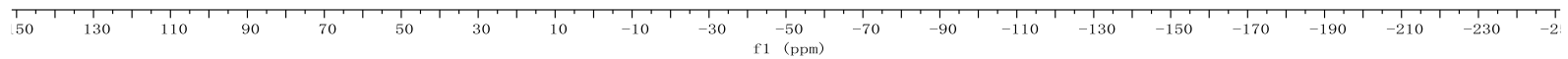
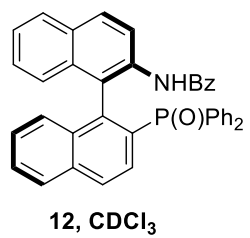


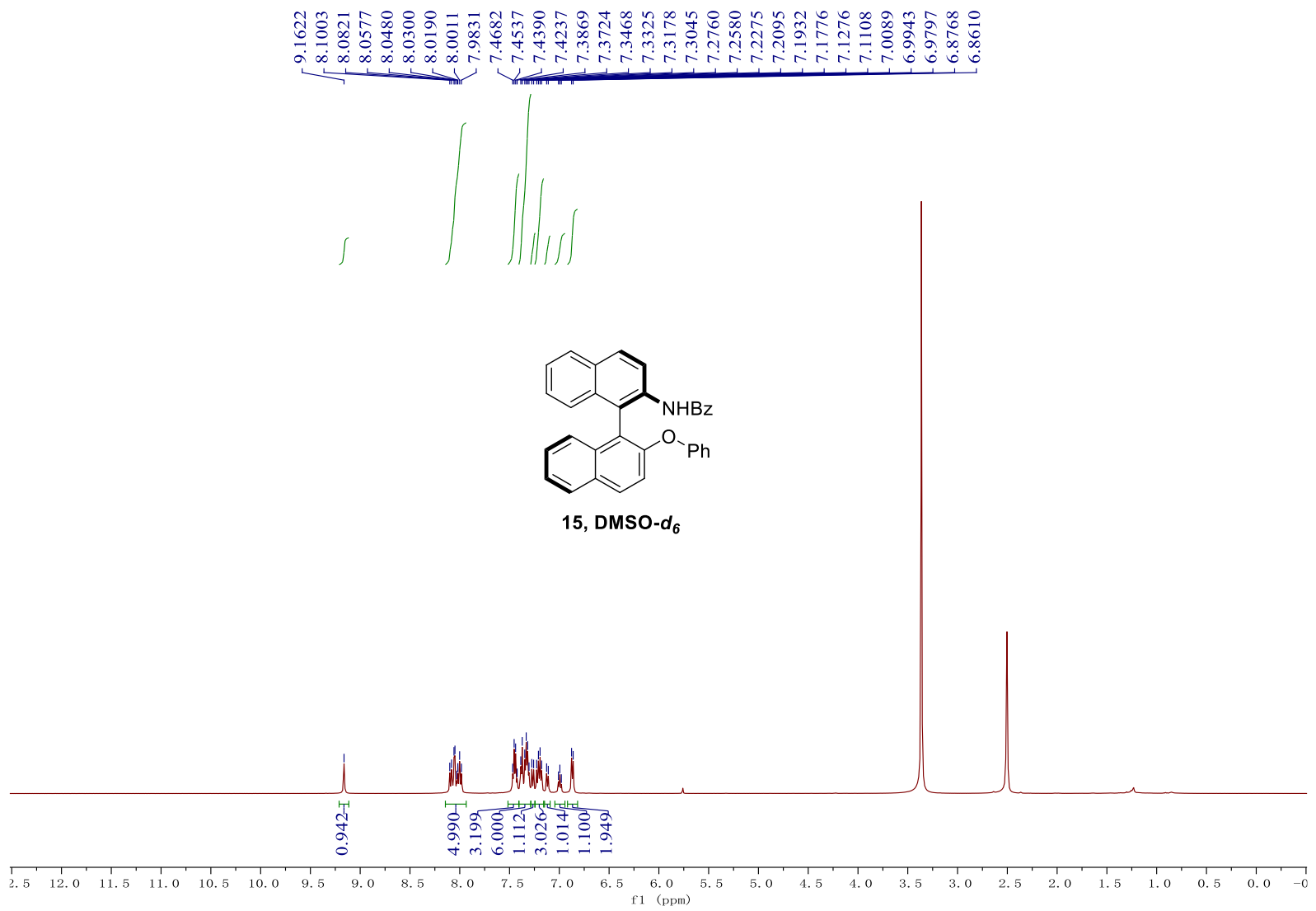


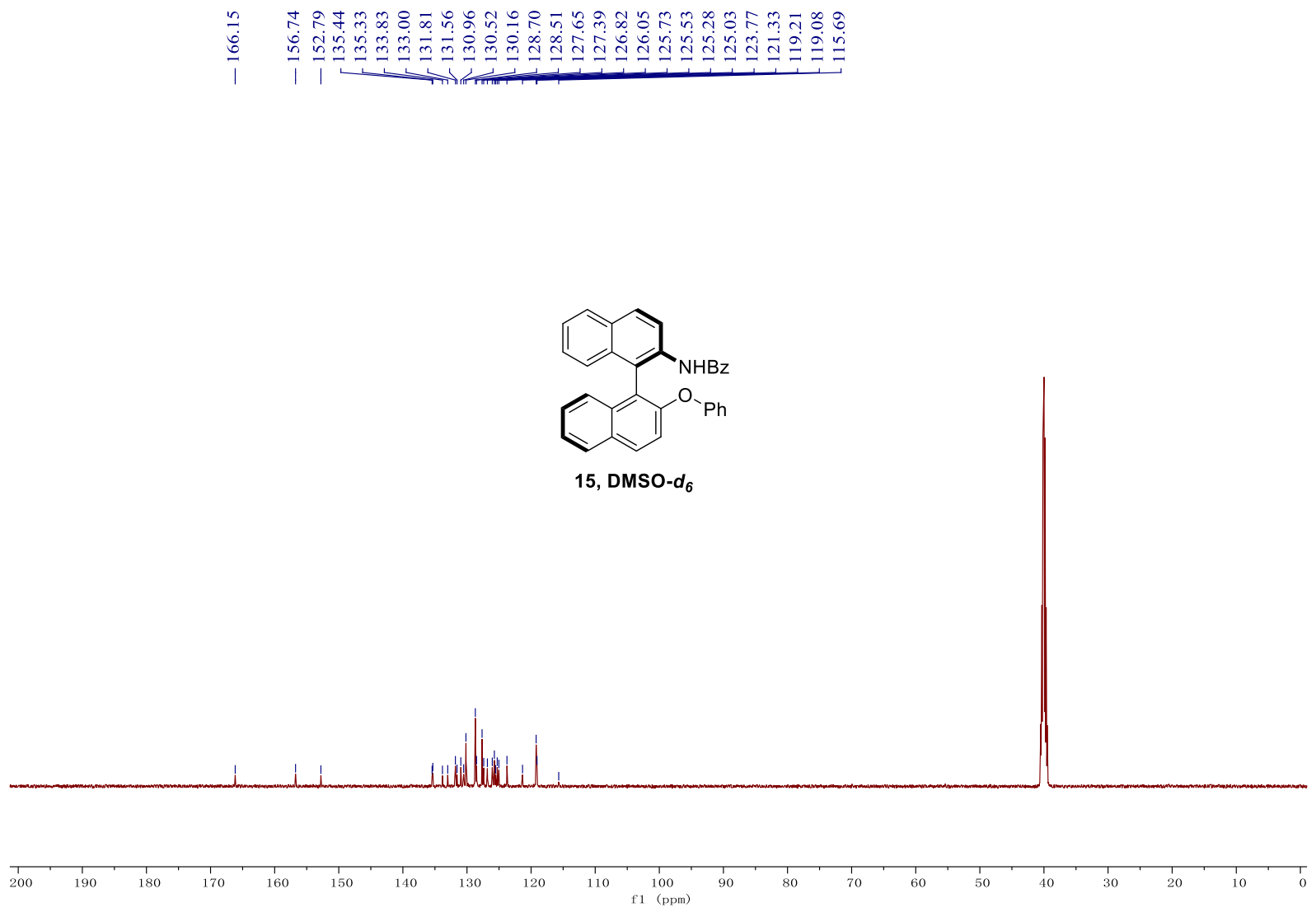




— 28.63

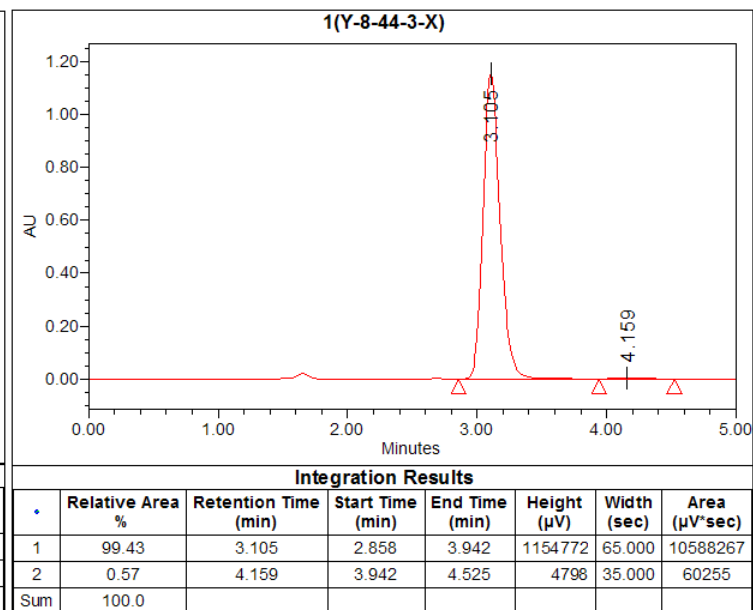
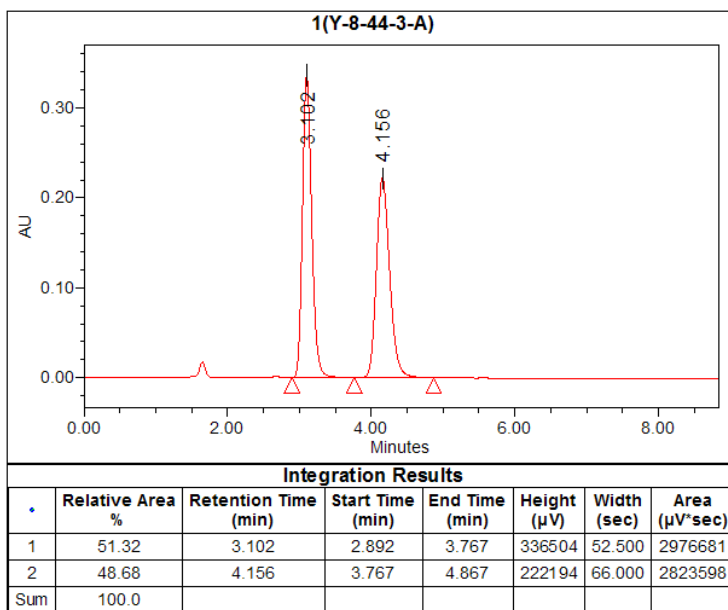
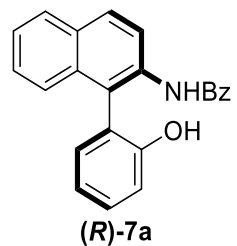




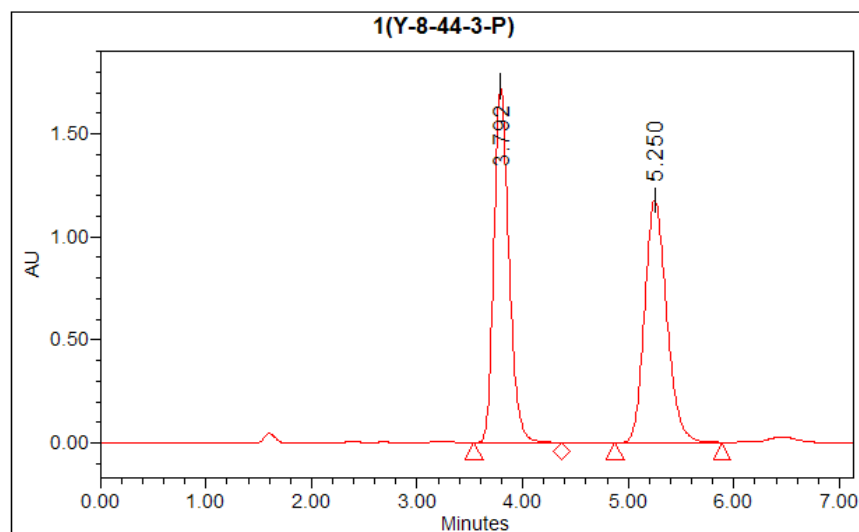
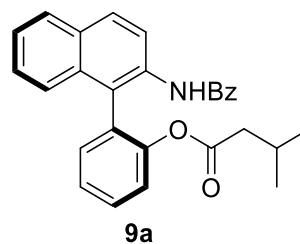


## HPLC Traces:

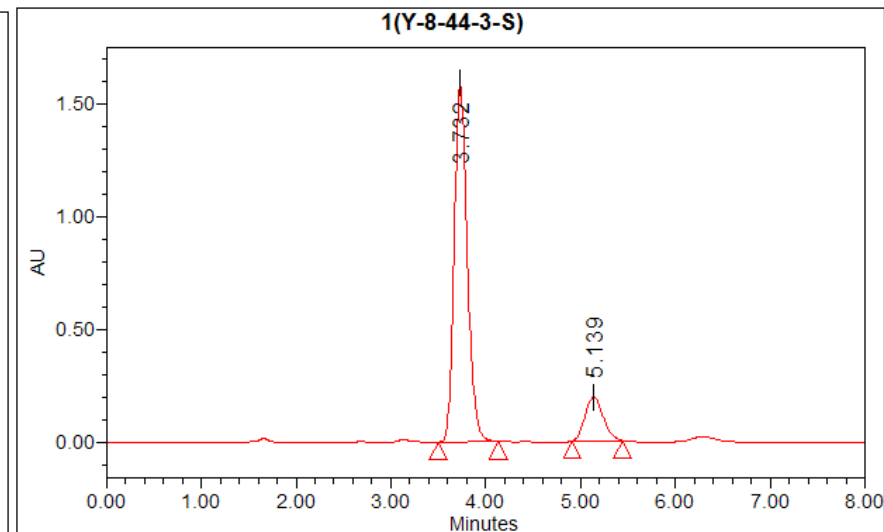
(*R*)-*N*-(1-(2-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-7a)



(S)-2-(2-benzamidonaphthalen-1-yl)phenyl 3-methylbutanoate (**9a**)

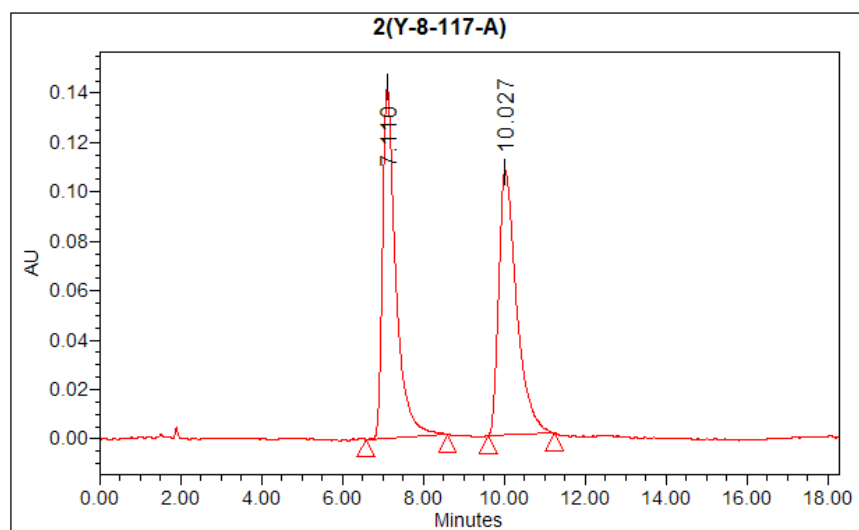
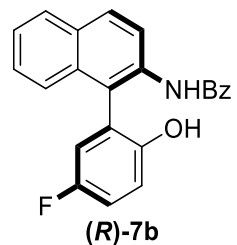


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.03	3.792	3.542	4.367	1725483	49.500	17127482
2	49.97	5.250	4.875	5.892	1178836	61.000	17103895
Sum	100.0						

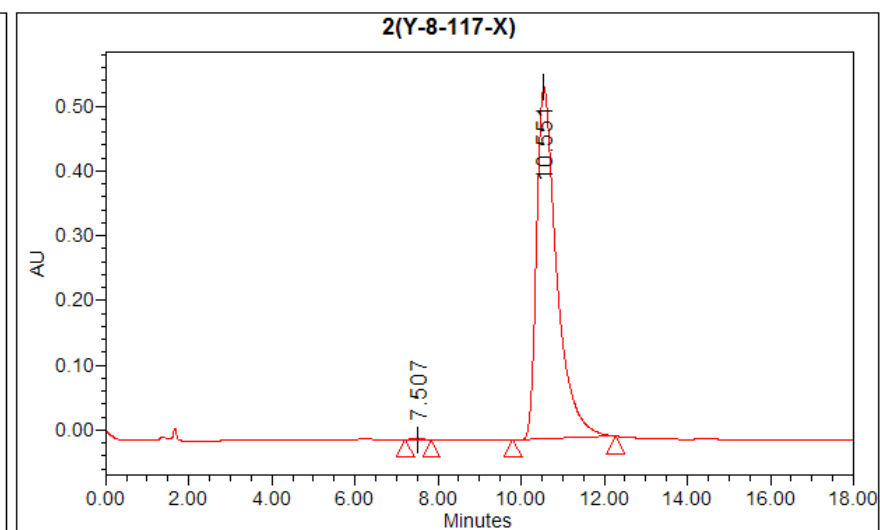


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	85.56	3.732	3.500	4.133	1589965	38.000	15293553
2	14.44	5.139	4.917	5.442	193934	31.500	2580707
Sum	100.0						

(R)-N-(1-(5-fluoro-2-hydroxyphenyl)naphthalen-2-yl)benzamide ((R)-7b)

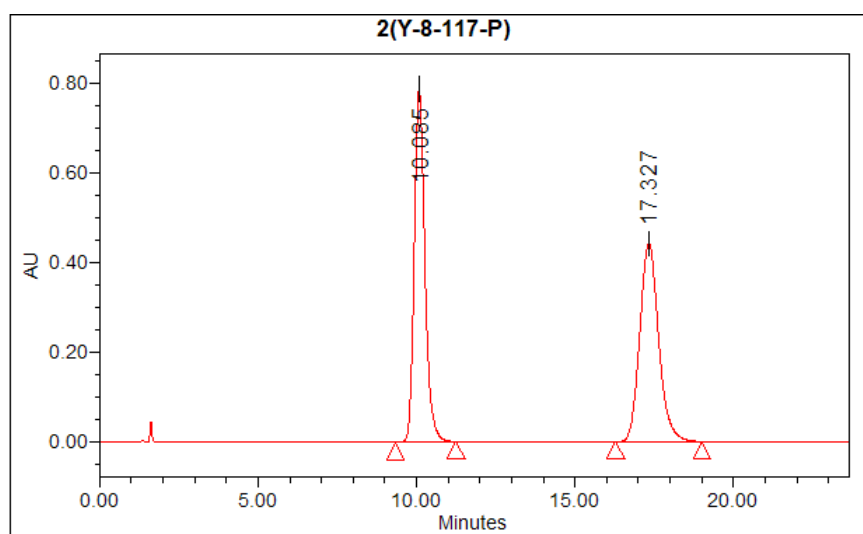
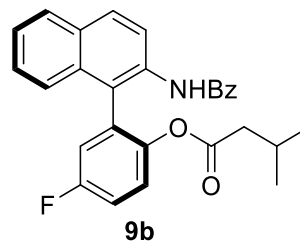


Integration Results							
	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.01	7.110	6.583	8.592	142090	120.500	3273262
2	49.99	10.027	9.608	11.242	106816	98.000	3271335
Sum	100.0						

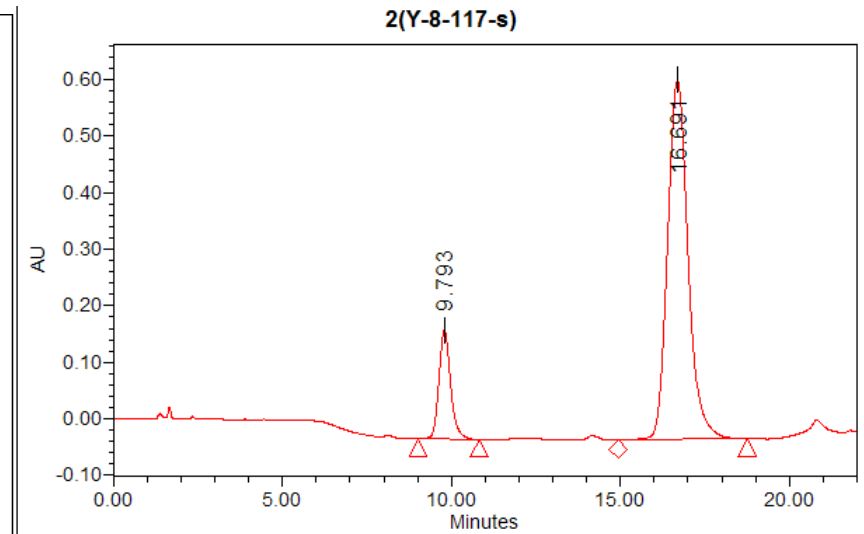


Integration Results							
	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	0.14	7.507	7.217	7.842	1329	37.500	26359
2	99.86	10.551	9.808	12.267	542871	147.500	18268747
Sum	100.0						

(S)-2-(2-benzamidonaphthalen-1-yl)-4-fluorophenyl 3-methylbutanoate (**9b**)



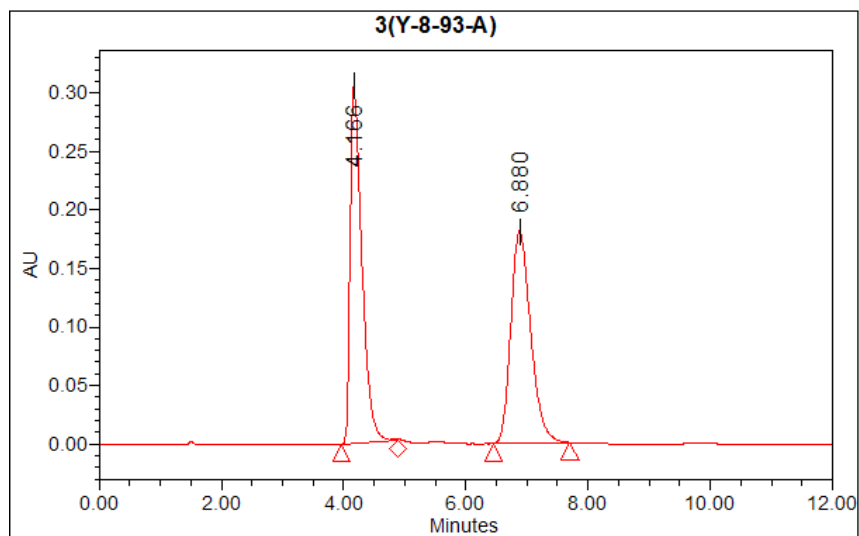
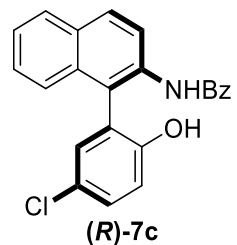
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.81	10.085	9.325	11.250	787145	115.500	18133778
2	50.19	17.327	16.292	19.017	443374	163.500	18275691
Sum	100.0						



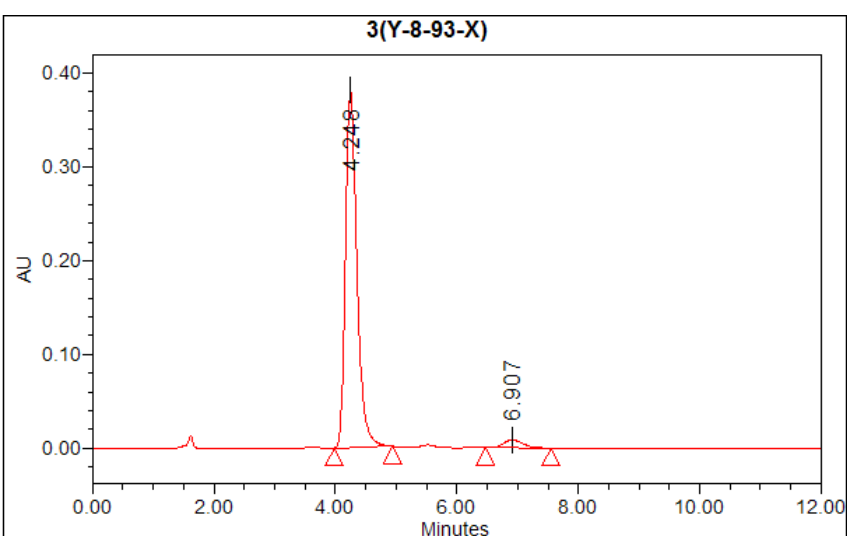
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	14.81	9.793	9.008	10.833	193048	109.500	4682270
2	85.19	16.691	14.933	18.750	635932	229.000	26934606
Sum	100.0						



(R)-N-(1-(5-chloro-2-hydroxyphenyl)naphthalen-2-yl)benzamide ((R)-7c)

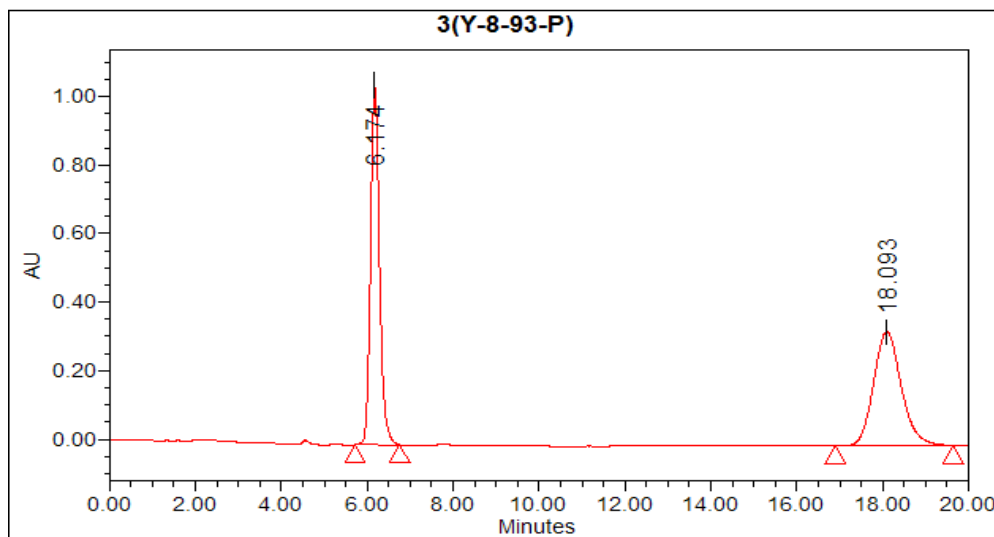
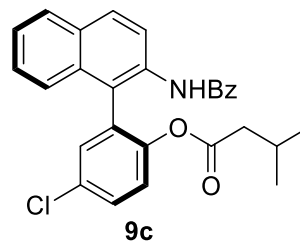


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.91	4.166	3.967	4.892	305015	55.500	4069422
2	50.09	6.880	6.450	7.700	181346	75.000	4084848
Sum	100.0						

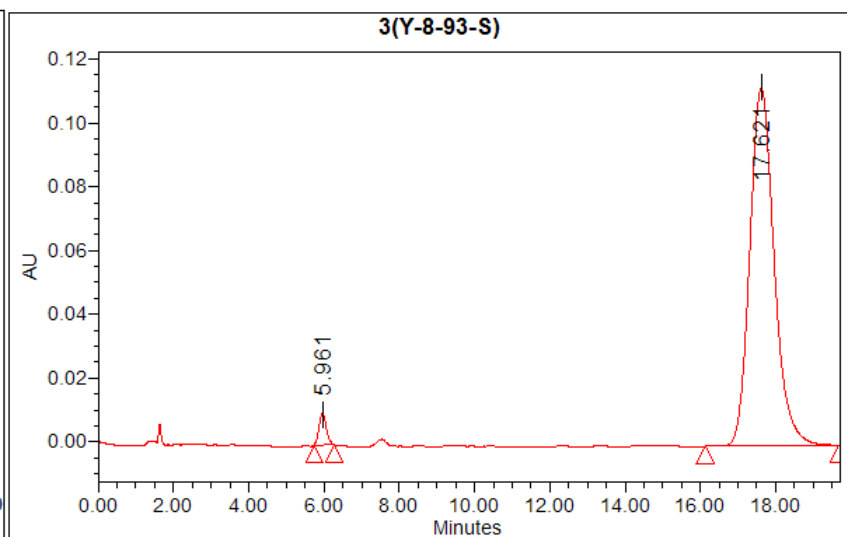


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	96.64	4.248	3.983	4.942	380899	57.500	5015335
2	3.36	6.907	6.467	7.550	8408	65.000	174539
Sum	100.0						

(S)-2-(2-benzamidonaphthalen-1-yl)-4-chlorophenyl 3-methylbutanoate (**9c**)

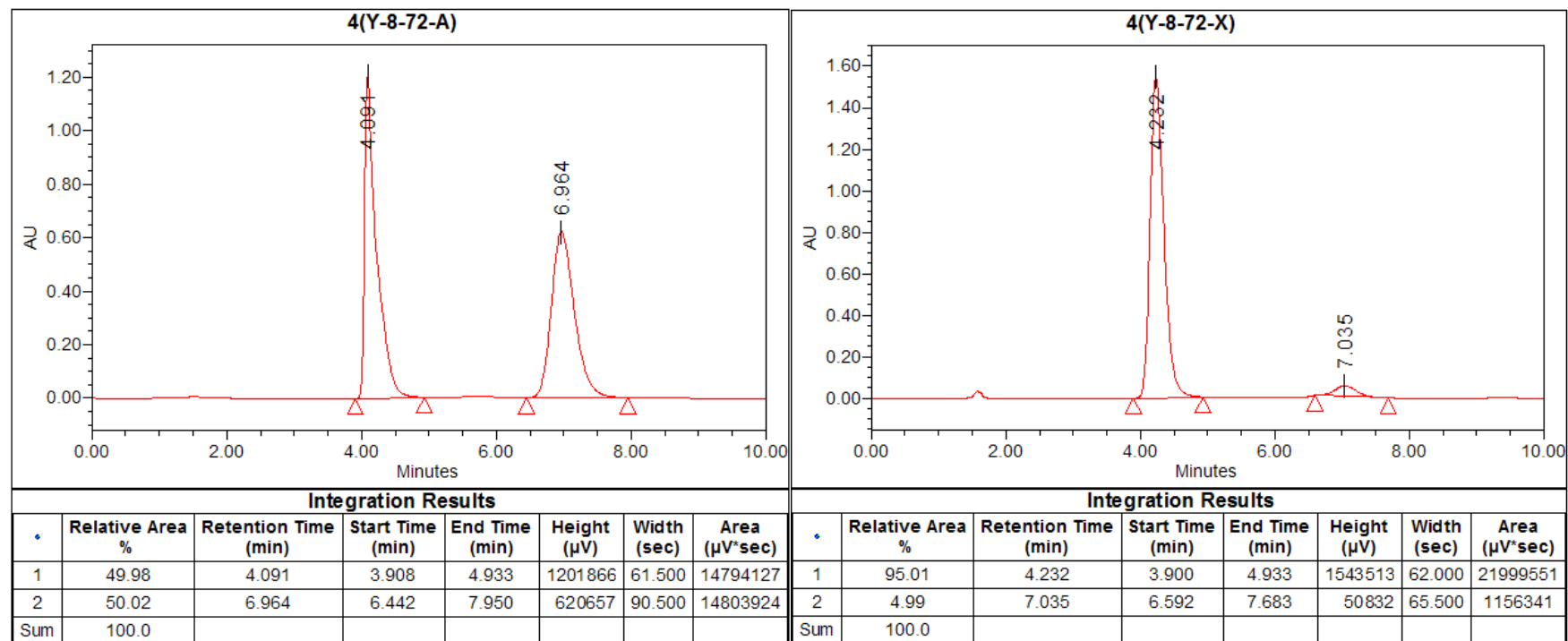
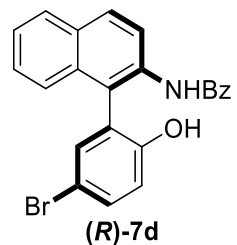


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.29	6.174	5.717	6.758	1047616	62.500	15103542
2	49.71	18.093	16.900	19.642	333399	164.500	14929594
Sum	100.0						

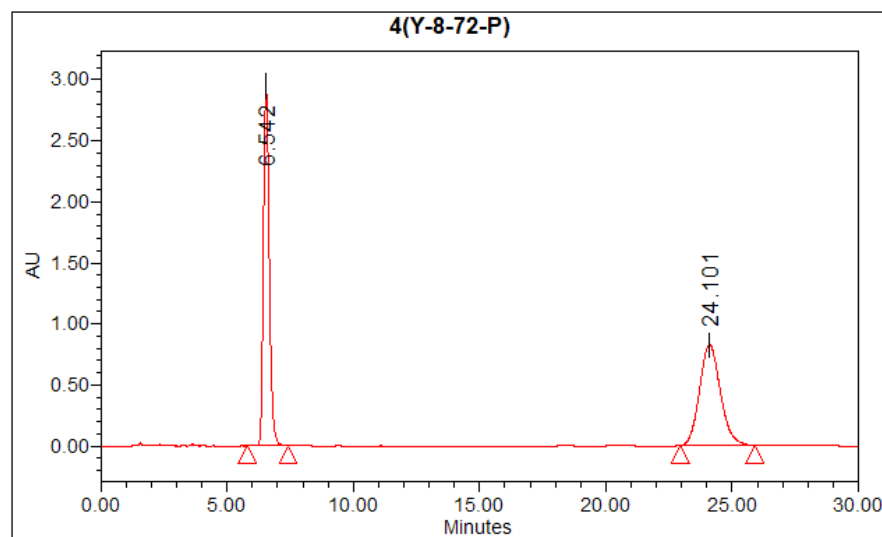
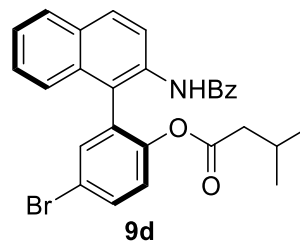


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	2.54	5.961	5.742	6.267	9778	31.500	132089
2	97.46	17.621	16.125	19.692	112365	214.000	5058508
Sum	100.0						

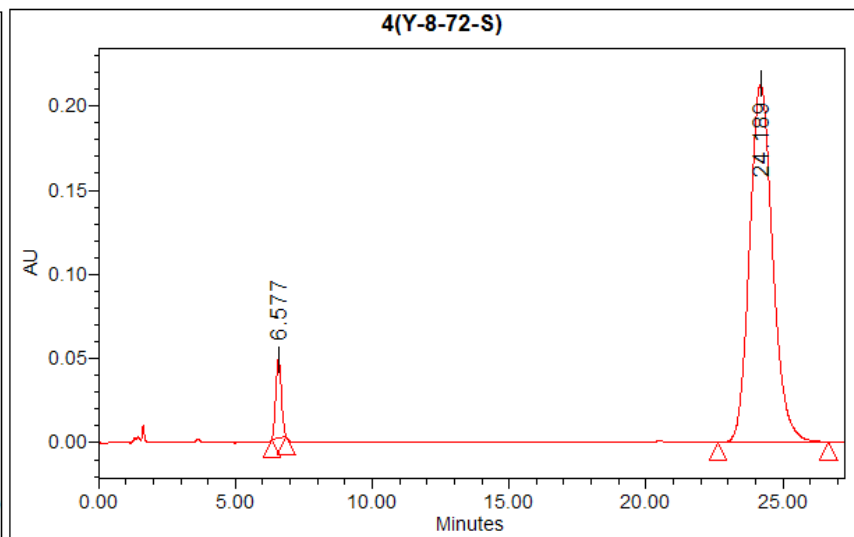
*(R)*-*N*-(1-(5-bromo-2-hydroxyphenyl)naphthalen-2-yl)benzamide (**(R)**-7d)



(*S*)-2-(2-benzamidonaphthalen-1-yl)-4-bromophenyl 3-methylbutanoate (**9d**)

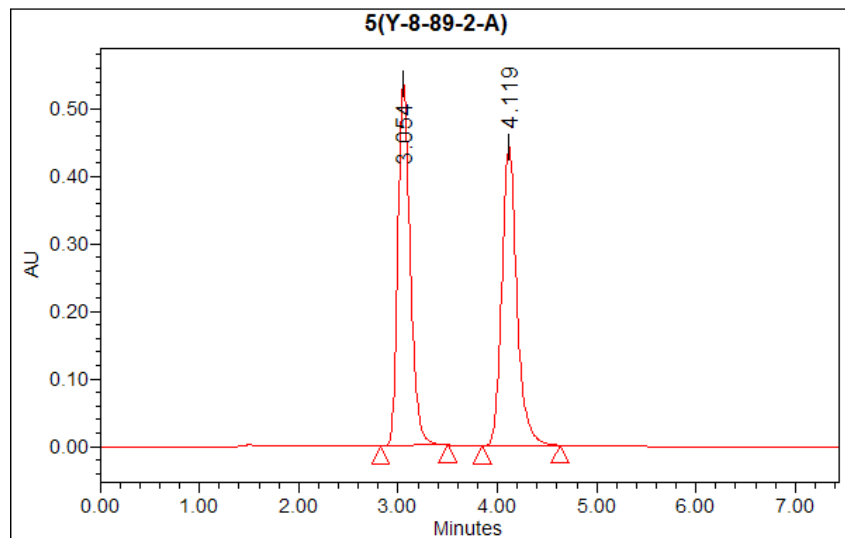
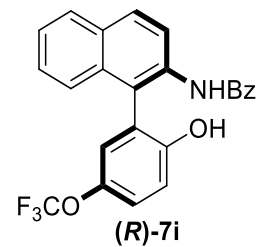


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.92	6.542	5.792	7.417	2939092	97.500	47501645
2	50.08	24.101	22.958	25.892	825661	176.000	47656812
Sum	100.0						



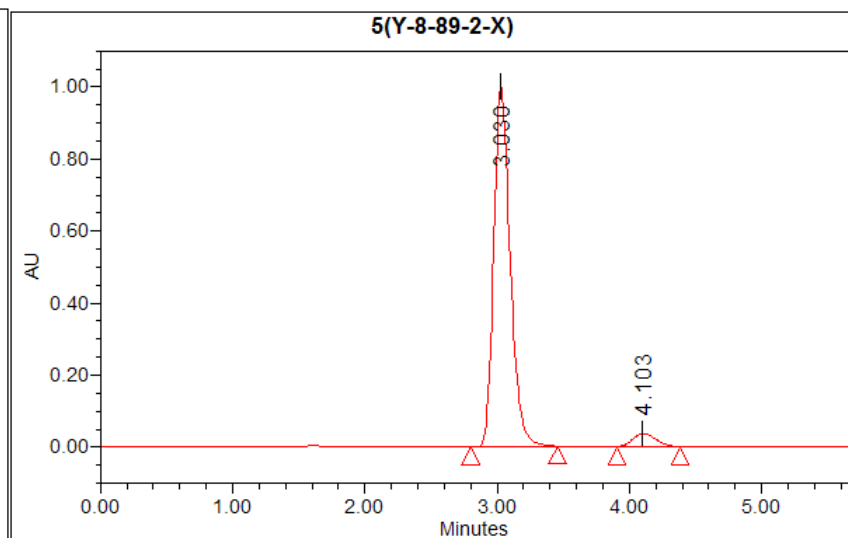
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	5.13	6.577	6.325	6.858	47455	32.000	676106
2	94.87	24.189	22.633	26.675	212818	242.500	12508563
Sum	100.0						

*(R)*-*N*-(1-(2-hydroxy-5-(trifluoromethoxy)phenyl)naphthalen-2-yl)benzamide (**(R)**-7i)



**Integration Results**

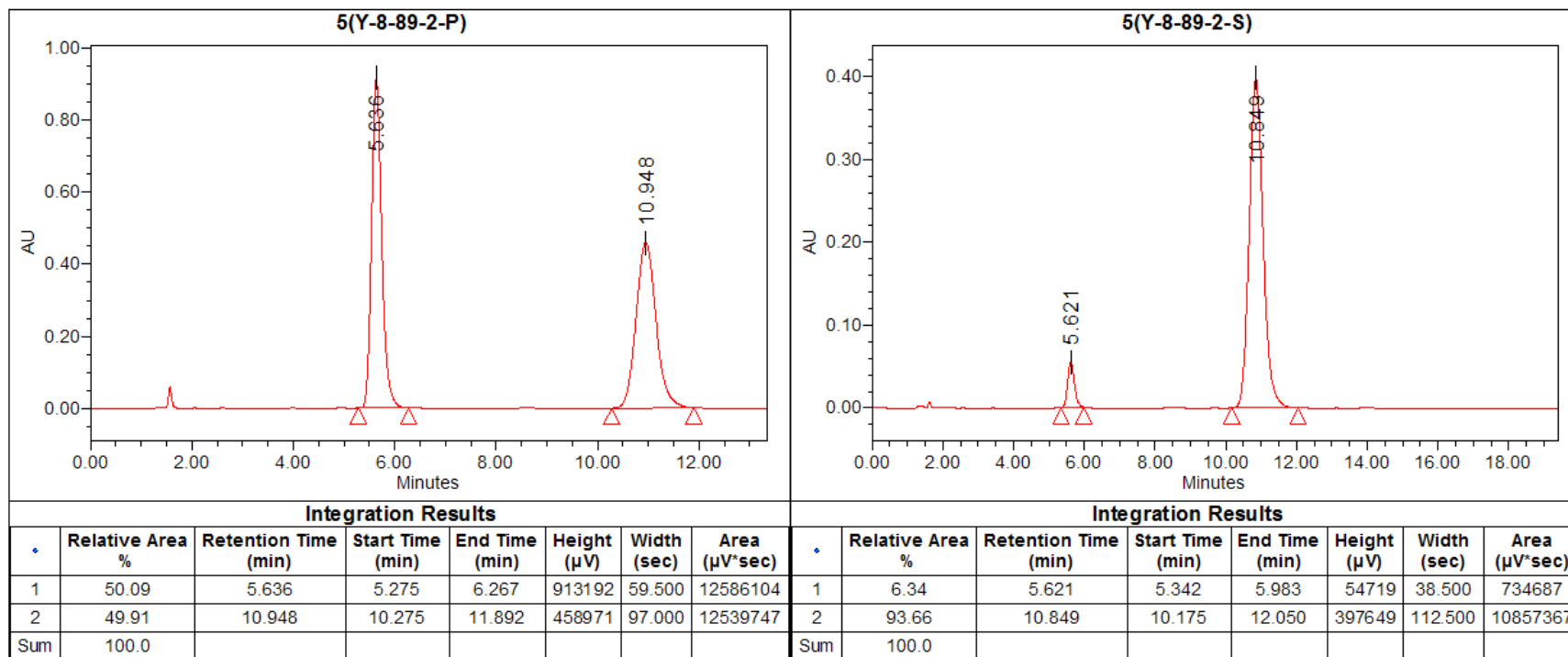
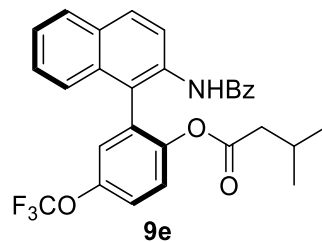
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV·sec)
1	50.04	3.054	2.825	3.500	535839	40.500	4682392
2	49.96	4.119	3.850	4.633	443264	47.000	4674375
Sum	100.0						



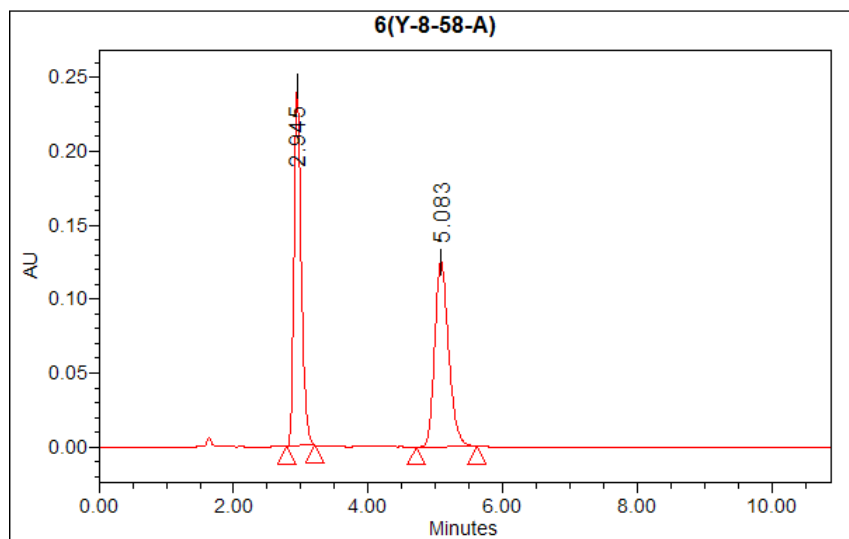
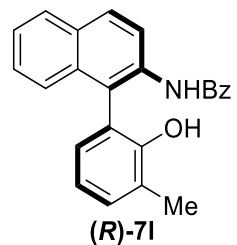
**Integration Results**

•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV·sec)
1	95.02	3.030	2.800	3.458	1000318	39.500	8643995
2	4.98	4.103	3.908	4.383	37019	28.500	453483
Sum	100.0						

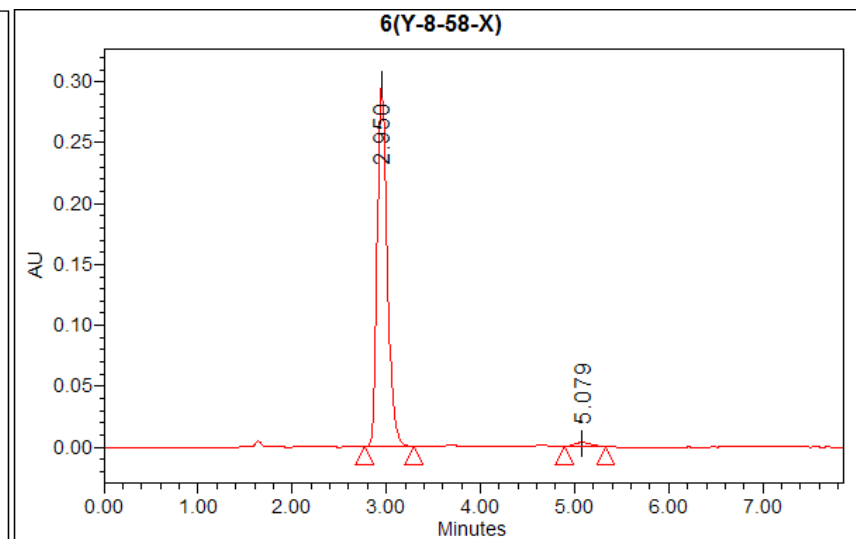
(S)-2-(2-benzamidonaphthalen-1-yl)-4-(trifluoromethoxy)phenyl 3-methylbutanoate (**9e**)



(*R*)-*N*-(1-(2-hydroxy-3-methylphenyl)naphthalen-2-yl)benzamide ((*R*)-71)

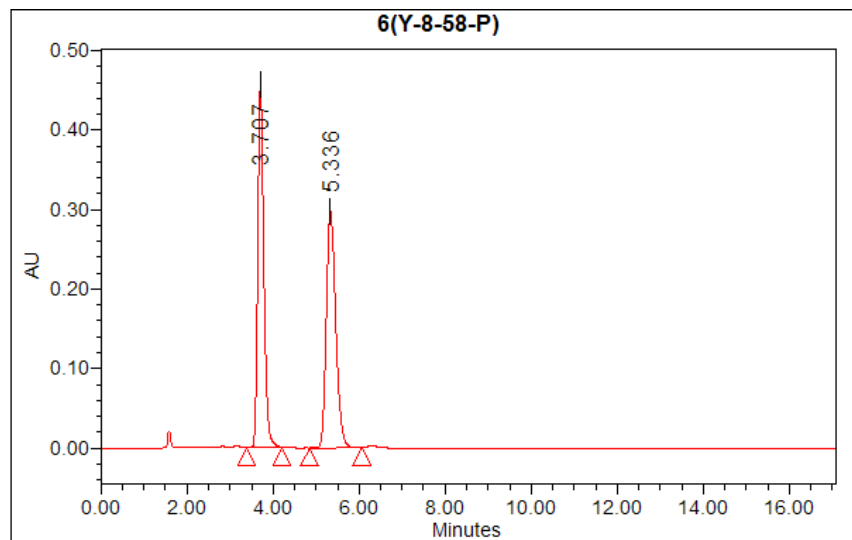
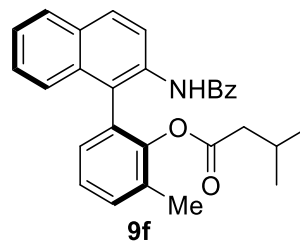


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.27	2.945	2.792	3.208	243647	25.000	1816257
2	49.73	5.083	4.717	5.617	125318	54.000	1796909
Sum	100.0						



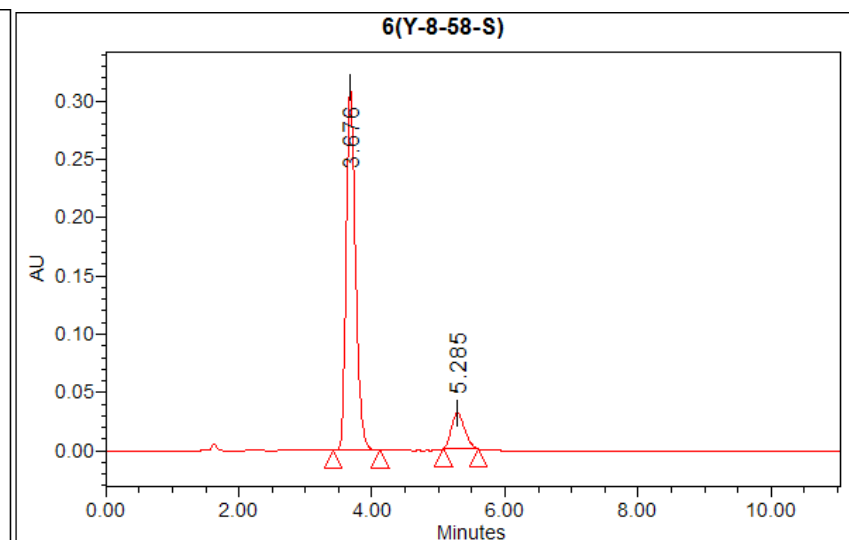
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	98.07	2.950	2.767	3.292	296792	31.500	2187472
2	1.93	5.079	4.892	5.333	3381	26.500	42964
Sum	100.0						

(S)-2-(2-benzamidonaphthalen-1-yl)-6-methylphenyl 3-methylbutanoate (**9f**)



**Integration Results**

•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.26	3.707	3.392	4.200	456136	48.500	4523772
2	49.74	5.336	4.850	6.058	298598	72.500	4476426
Sum	100.0						

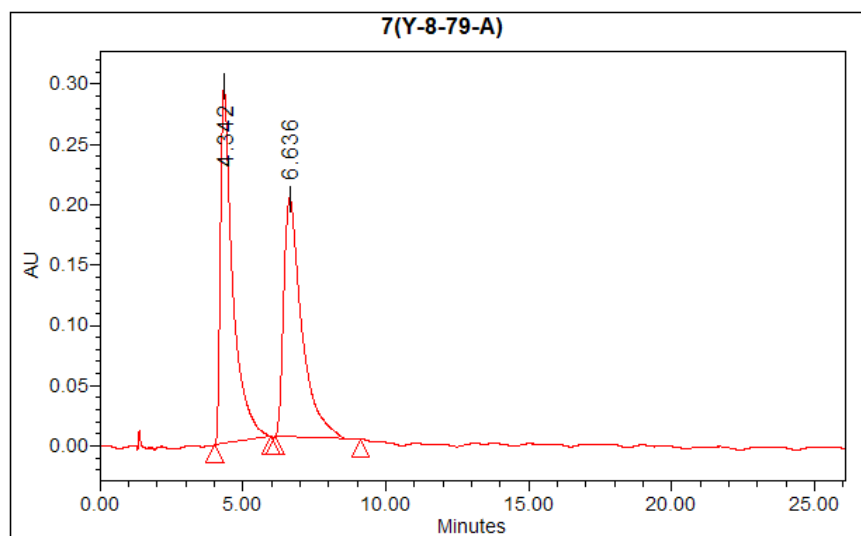
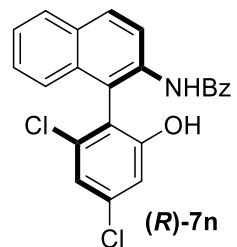


**Integration Results**

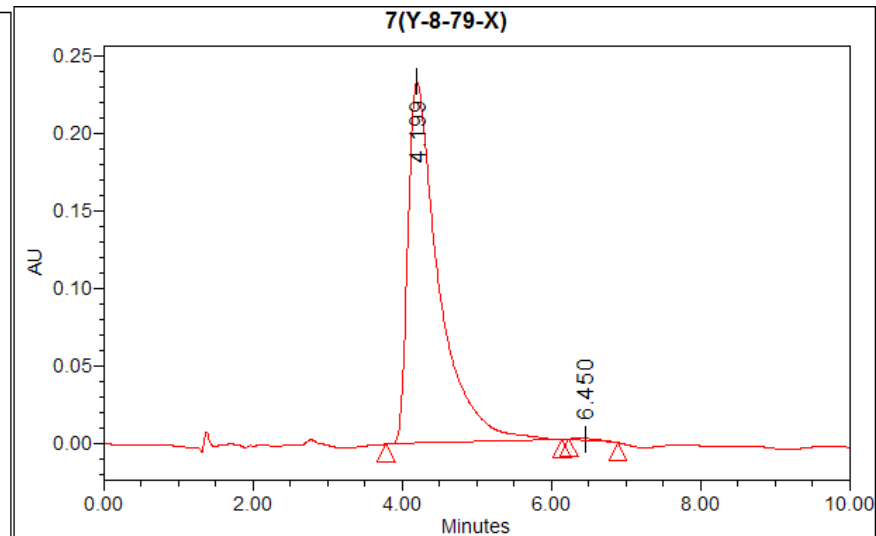
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	87.54	3.676	3.417	4.125	310572	42.500	3015050
2	12.46	5.285	5.075	5.600	31113	31.500	429189
Sum	100.0						



(*S*)-*N*-(1-(2,4-dichloro-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-7n)

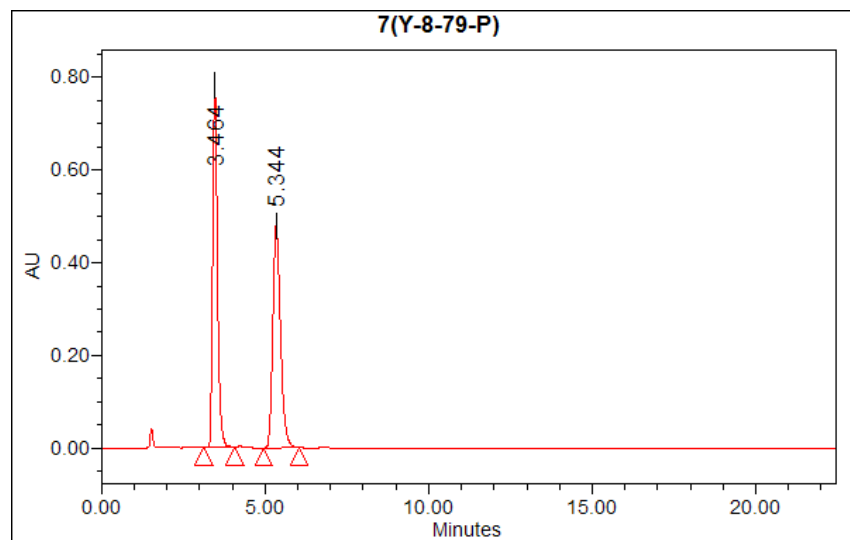
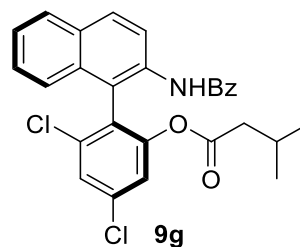


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.68	4.342	4.017	5.983	295436	118.000	8969266
2	49.32	6.636	6.142	9.125	198061	179.000	8729256
Sum	100.0						

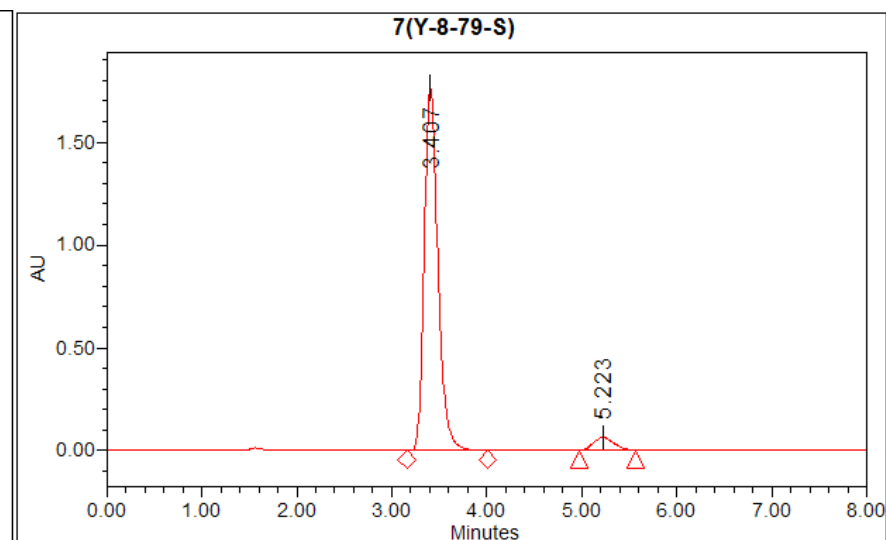


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	99.59	4.199	3.783	6.142	232617	141.500	6695816
2	0.41	6.450	6.233	6.892	1175	39.500	27517
Sum	100.0						

(*R*)-2-(2-benzamidonaphthalen-1-yl)-3,5-dichlorophenyl 3-methylbutanoate (**9g**)

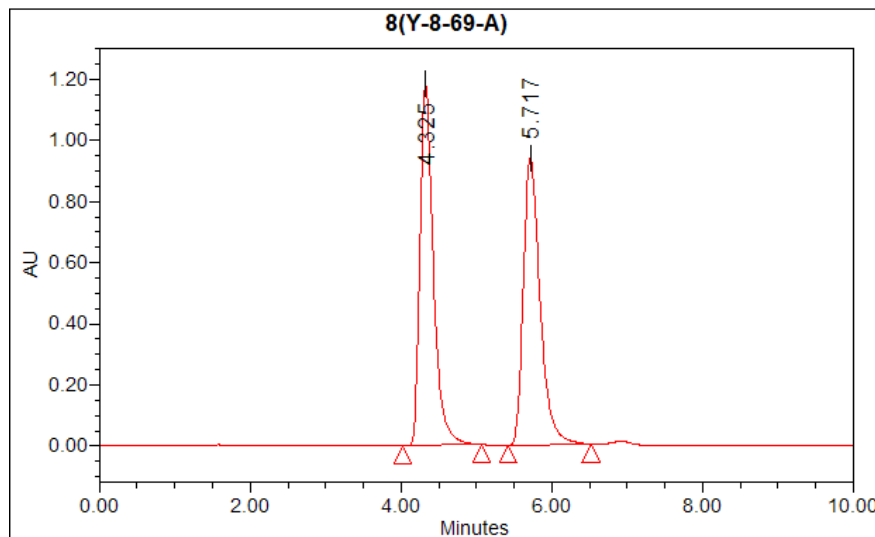
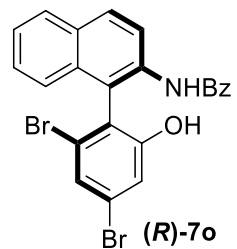


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.10	3.464	3.125	4.075	780916	57.000	7947355
2	49.90	5.344	4.967	6.042	480248	64.500	7915921
Sum	100.0						

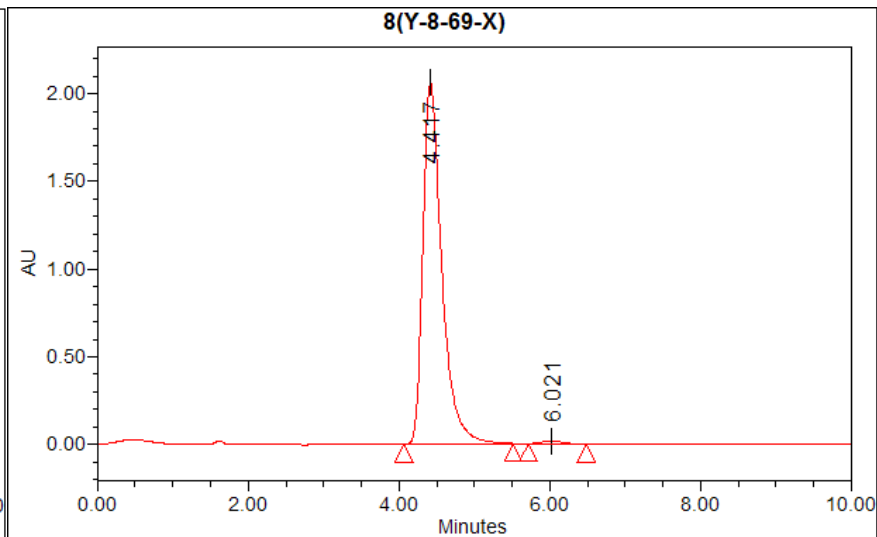


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	94.94	3.407	3.158	4.008	1760354	51.000	17501896
2	5.06	5.223	4.975	5.567	62863	35.500	933306
Sum	100.0						

(S)-N-(1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((R)-7o)

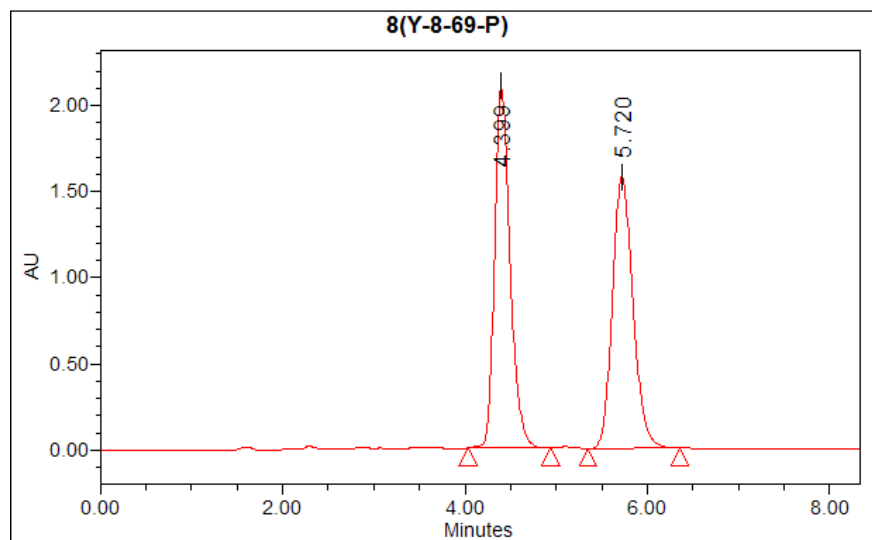
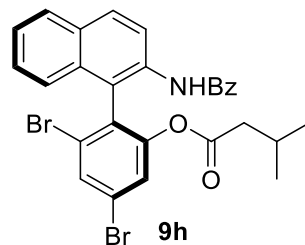


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.05	4.325	4.025	5.067	1180800	62.500	14634364
2	49.95	5.717	5.425	6.517	938914	65.500	14607636
Sum	100.0						

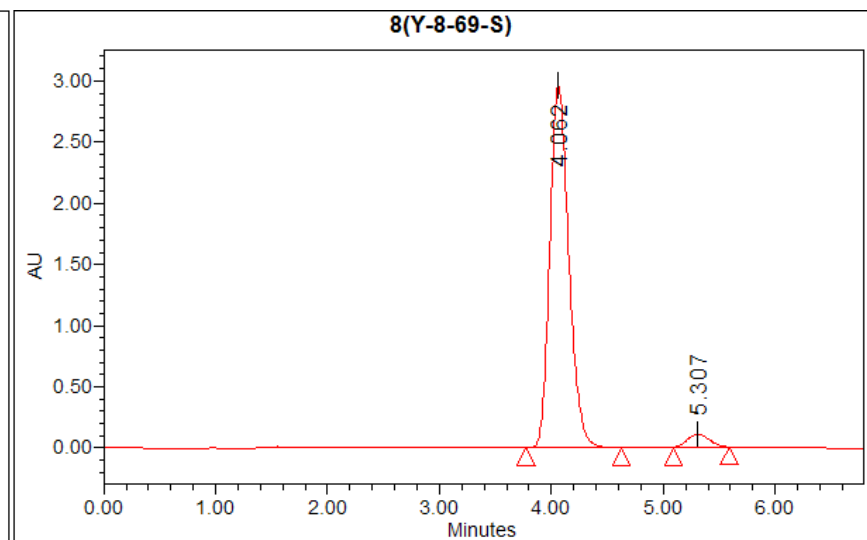


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	99.16	4.417	4.067	5.517	2058973	87.000	36008312
2	0.84	6.021	5.717	6.483	16368	46.000	304814
Sum	100.0						

(*R*)-2-(2-benzamidonaphthalen-1-yl)-3,5-dibromophenyl 3-methylbutanoate (**9h**)

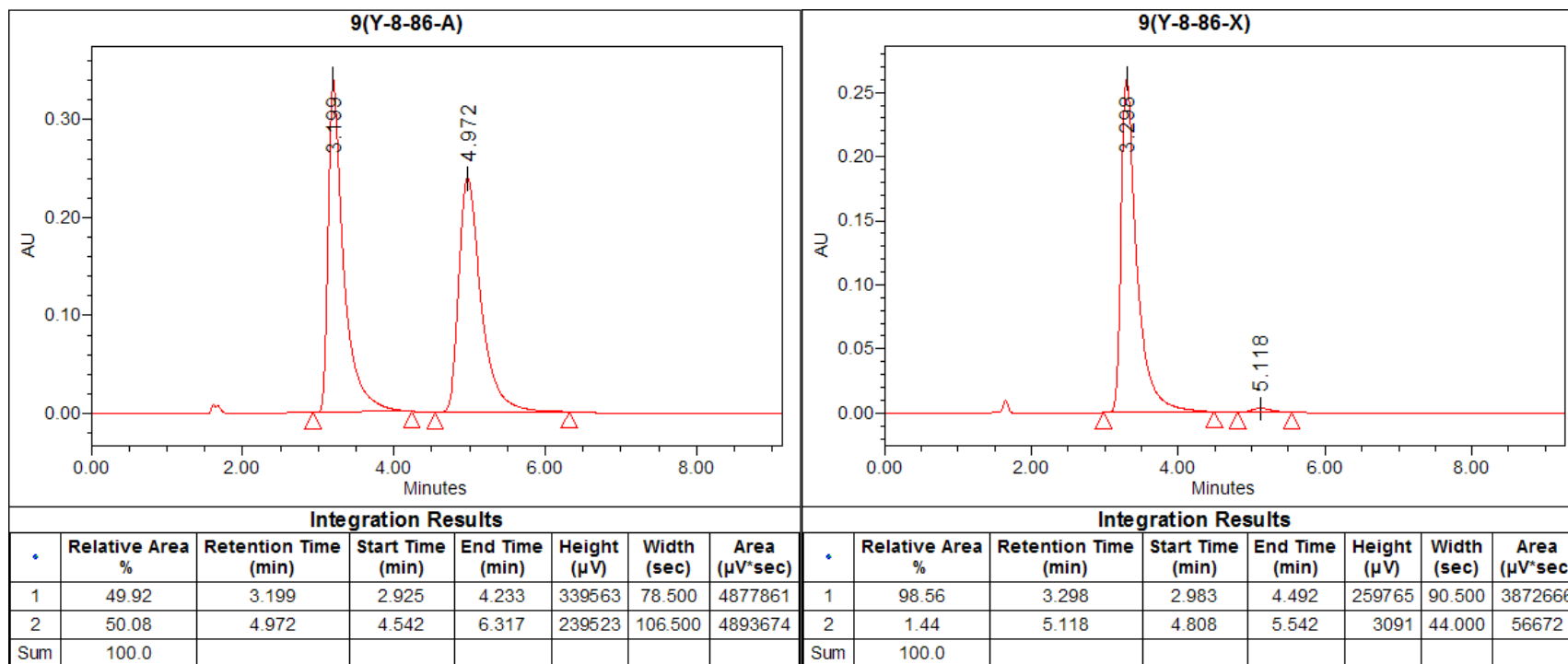
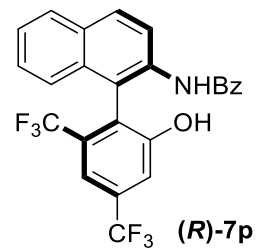


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.12	4.399	4.033	4.942	2096693	54.500	24925930
2	49.88	5.720	5.350	6.358	1579437	60.500	24803492
Sum	100.0						

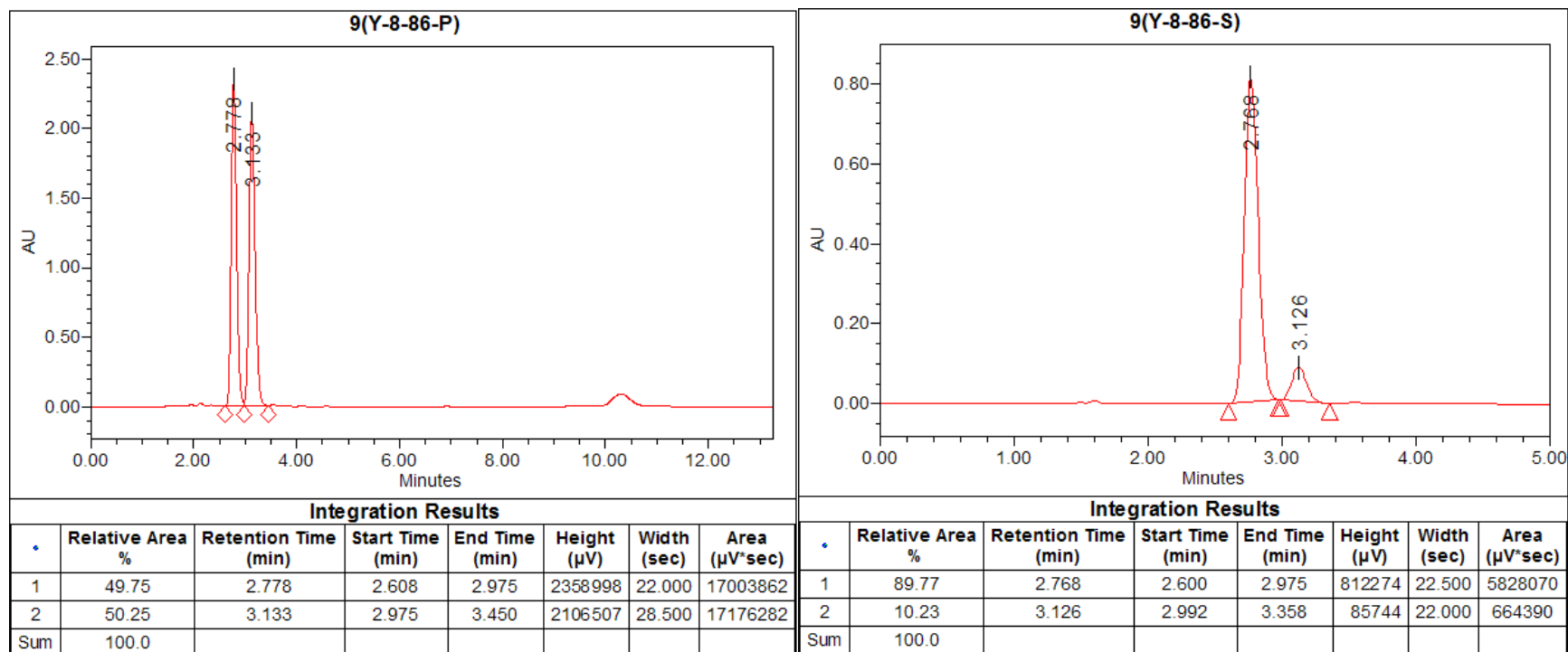
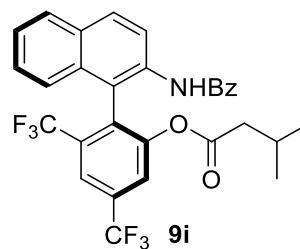


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	95.95	4.062	3.775	4.625	2958973	51.000	34675885
2	4.05	5.307	5.092	5.592	105546	30.000	1464543
Sum	100.0						

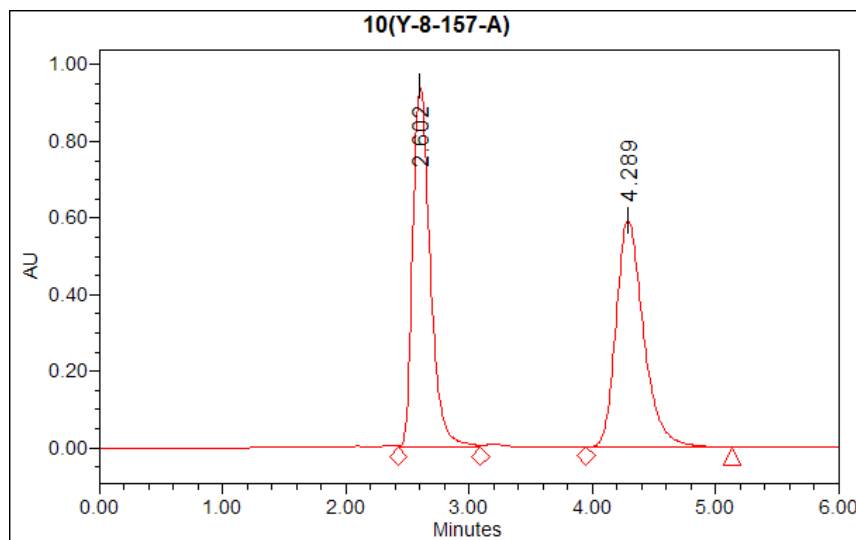
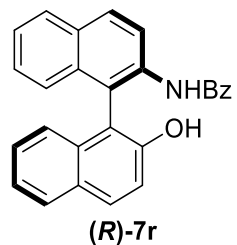
*(R)*-*N*-(1-(2-hydroxy-4,6-bis(trifluoromethyl)phenyl)naphthalen-2-yl)benzamide (**(*R*)-7p**)



(S)-2-(2-benzamidonaphthalen-1-yl)-3,5-bis(trifluoromethyl)phenyl 3-methylbutanoate (**9i**)

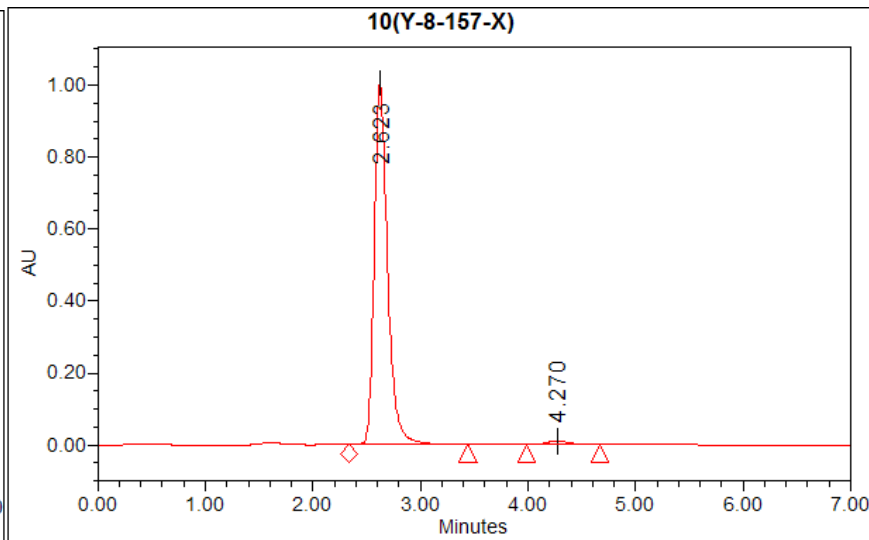


*(R)*-*N*-(2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (*(R)*-7r)



**Integration Results**

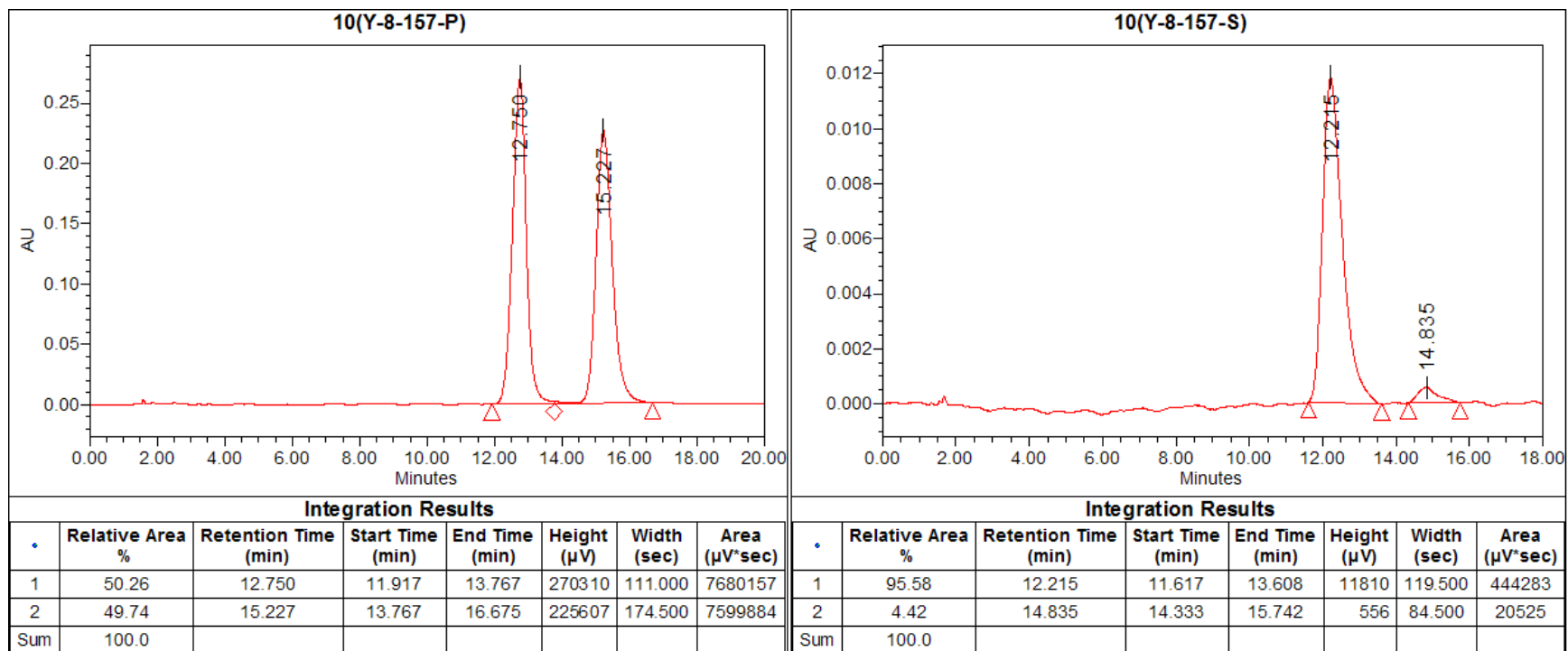
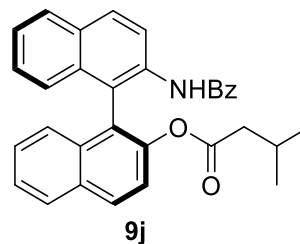
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.24	2.602	2.425	3.092	944218	40.000	9304295
2	49.76	4.289	3.950	5.133	593799	71.000	9214213
Sum	100.0						



**Integration Results**

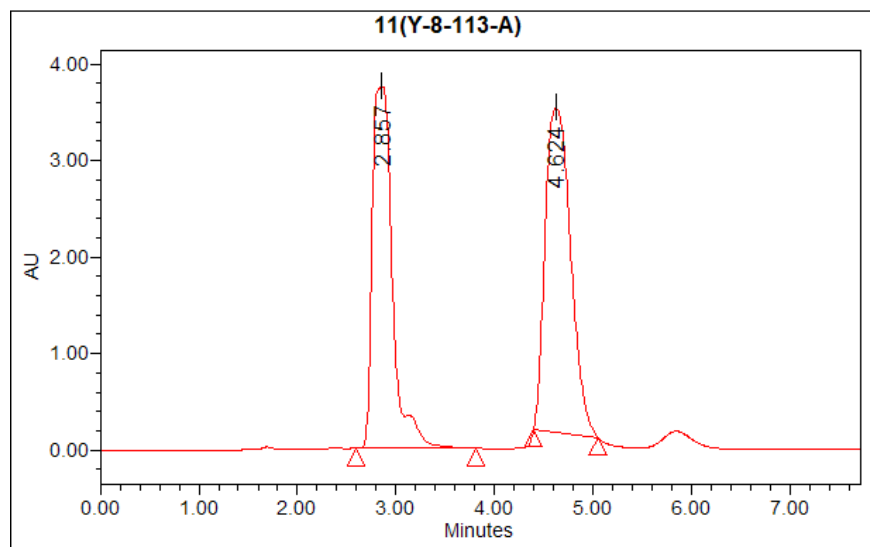
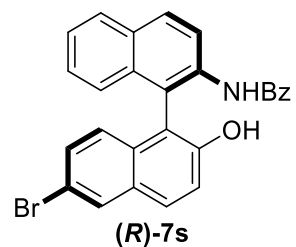
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	98.33	2.623	2.333	3.442	1005913	66.500	8688332
2	1.67	4.270	3.983	4.667	10166	41.000	147955
Sum	100.0						

(S)-2'-benzamido-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9j**)

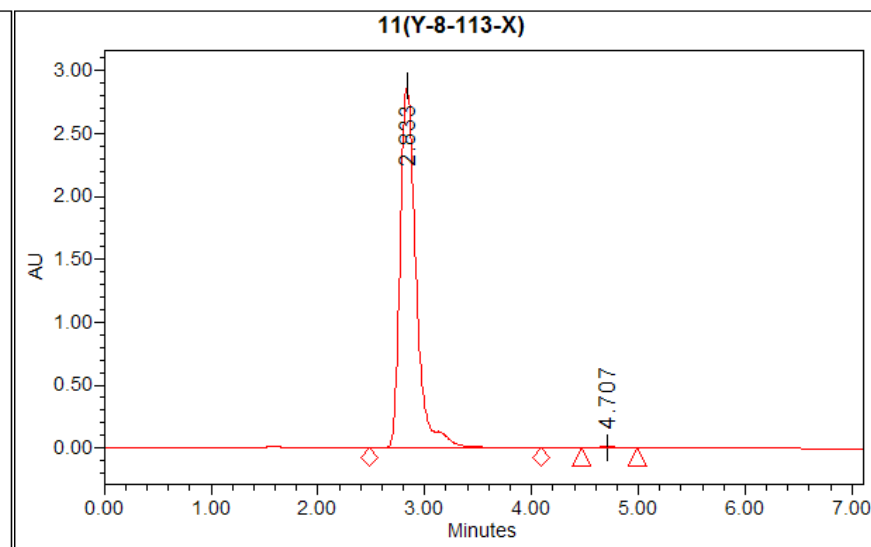




*(R)*-*N*-(6'-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (*(R)*-7s)

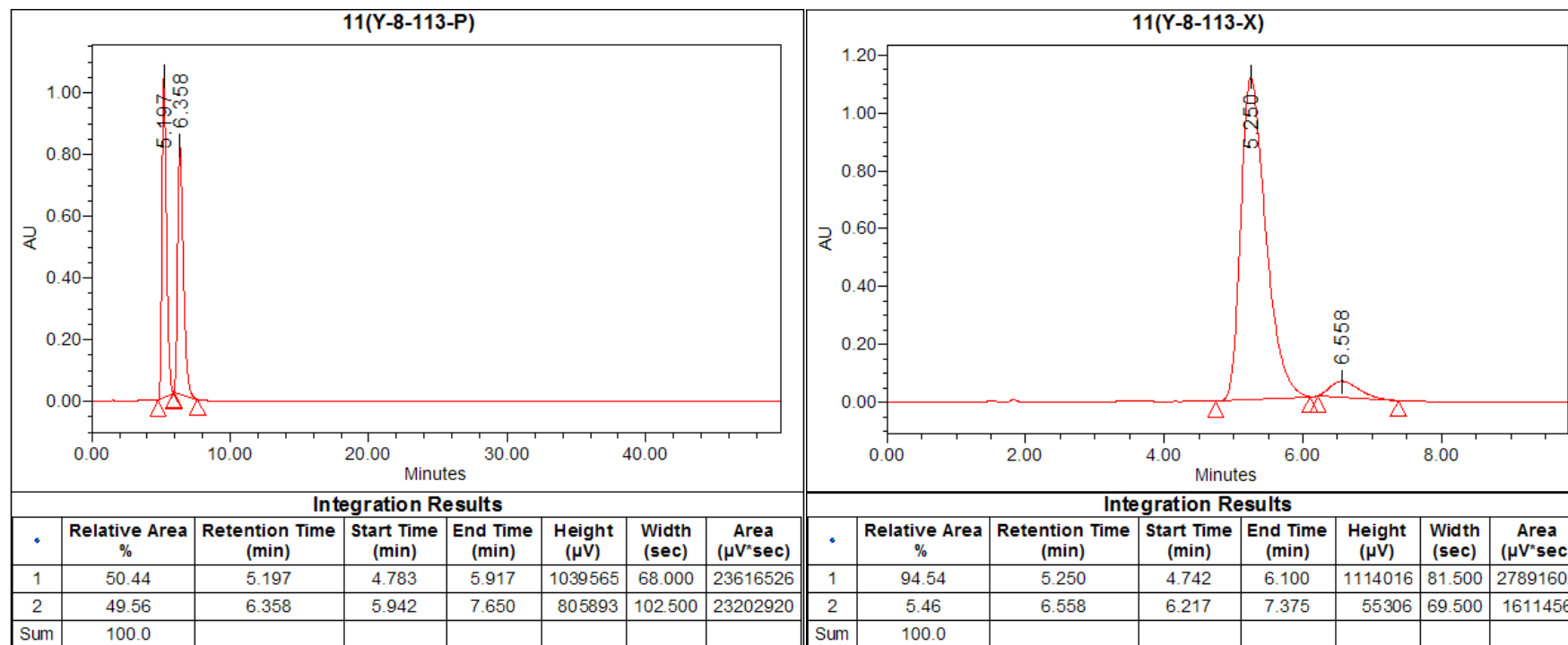
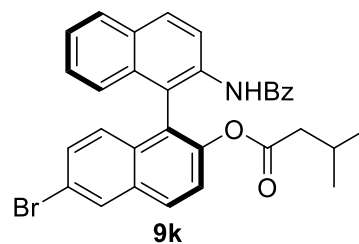


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	46.92	2.857	2.592	3.808	3749441	73.000	53143655
2	53.08	4.624	4.400	5.050	3360220	39.000	60110425
Sum	100.0						

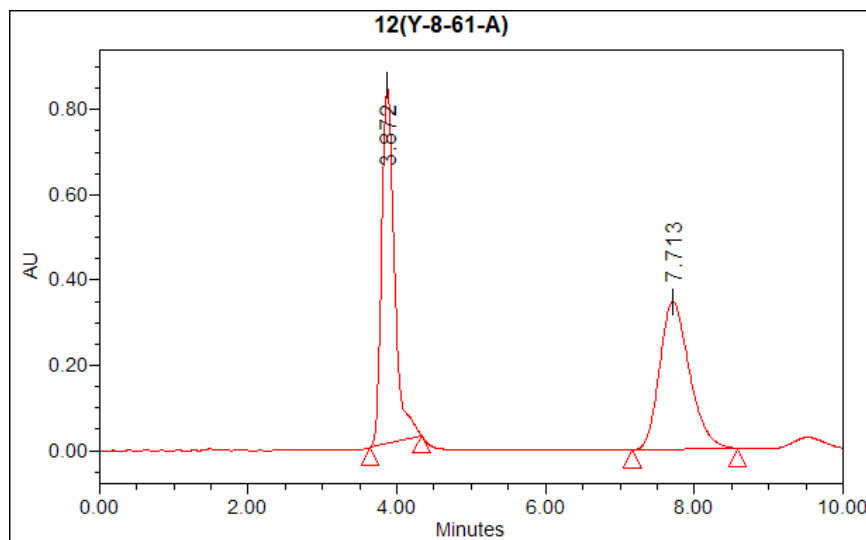
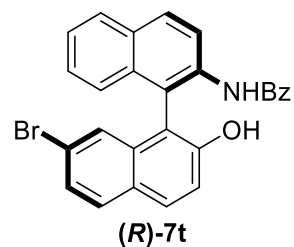


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	99.55	2.833	2.483	4.092	2873774	96.500	30285858
2	0.45	4.707	4.467	4.992	8044	31.500	137029
Sum	100.0						

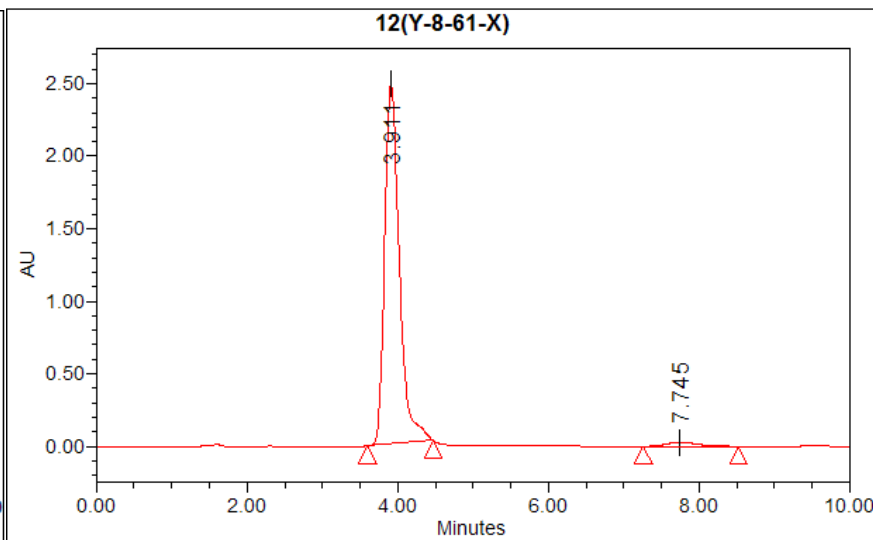
(S)-2'-benzamido-6-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9k**)



(*R*)-*N*-(7'-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((*R*)-7t)

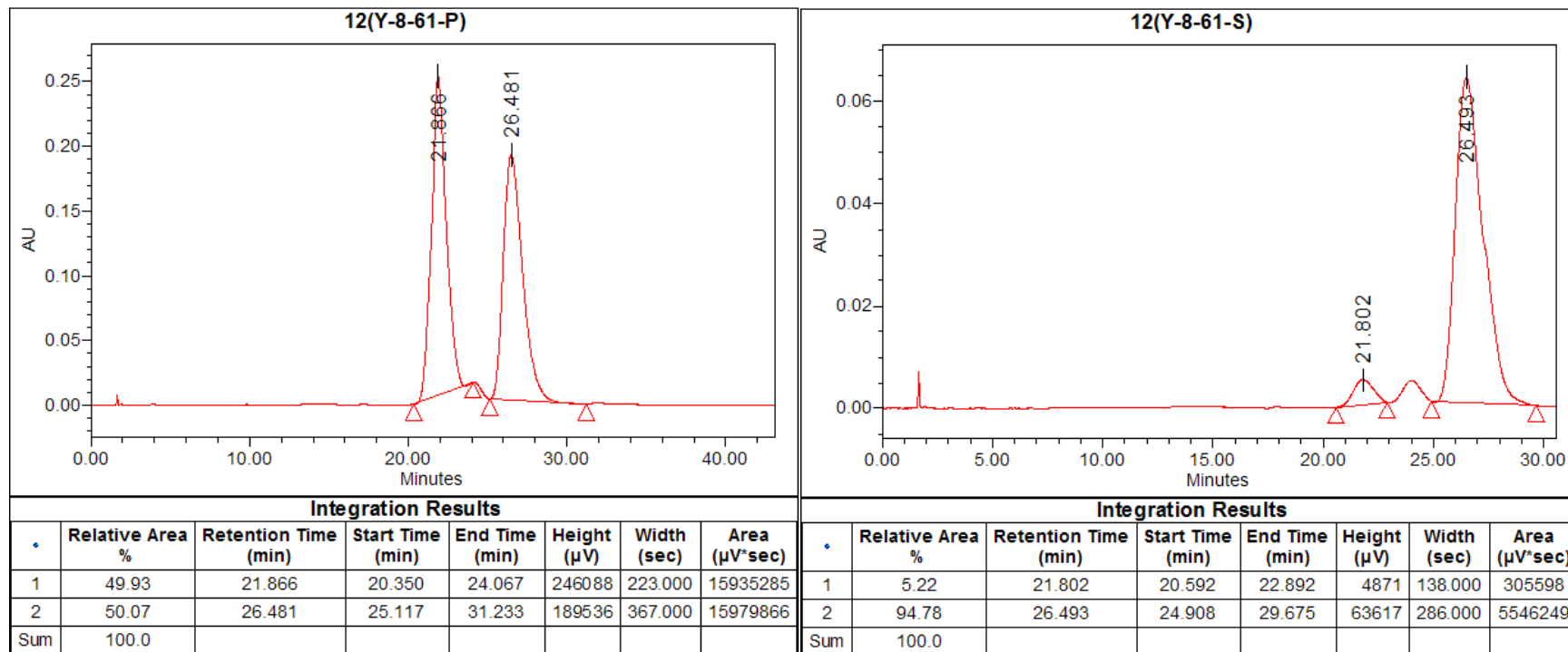
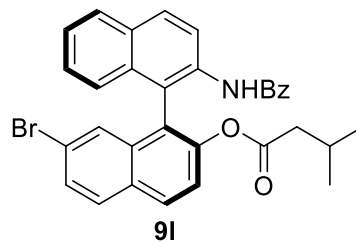


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV·sec)
1	50.99	3.872	3.642	4.333	839277	41.500	10086401
2	49.01	7.713	7.167	8.583	347016	85.000	9695497
Sum	100.0						

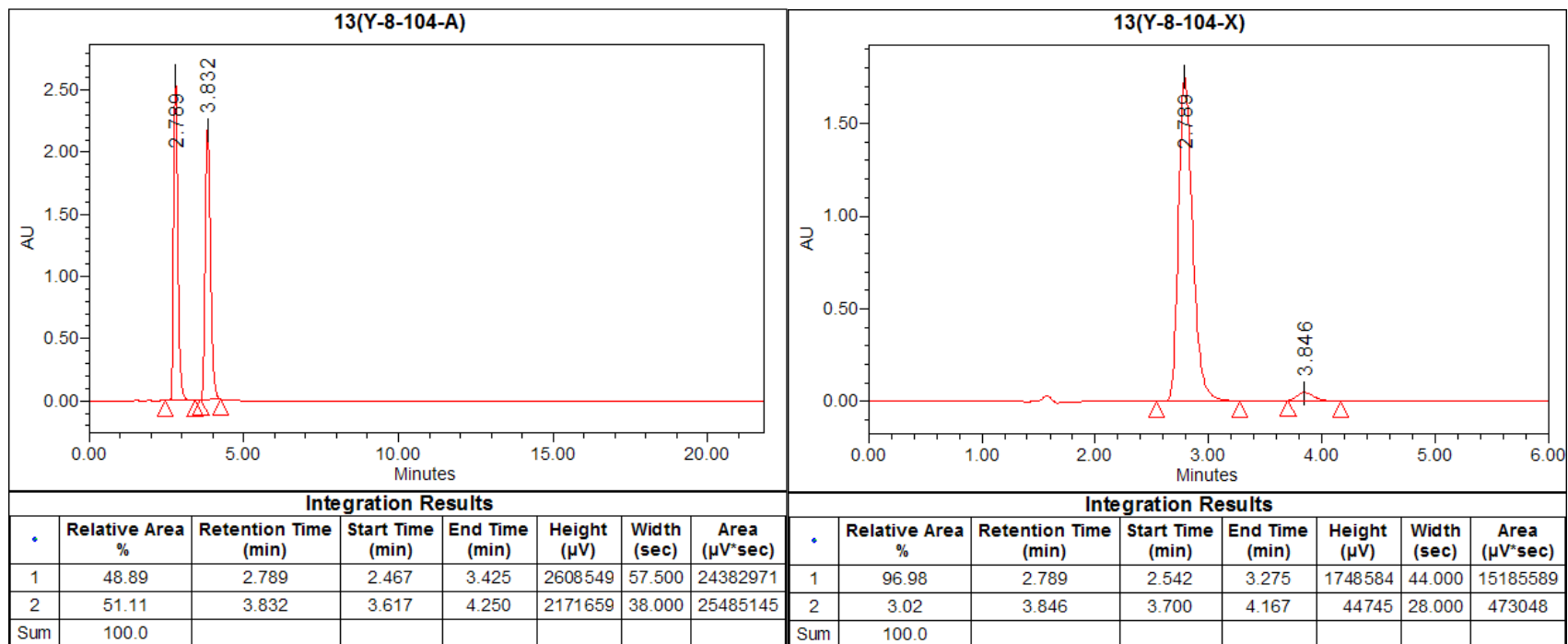
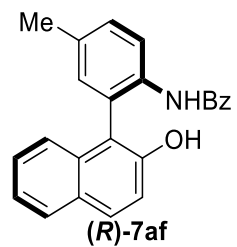


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV·sec)
1	97.70	3.911	3.600	4.467	2478461	52.000	33809408
2	2.30	7.745	7.258	8.525	28475	76.000	794678
Sum	100.0						

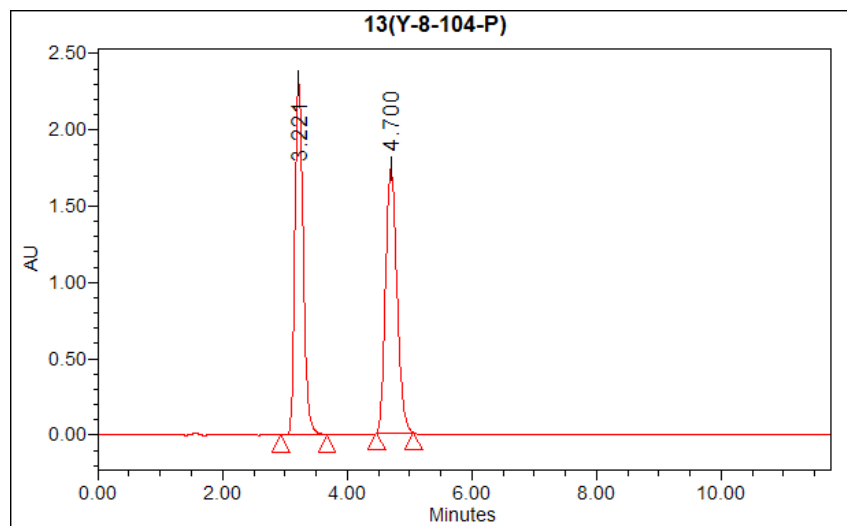
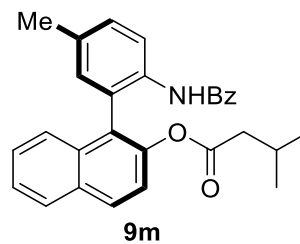
(S)-2'-benzamido-7-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9I**)



(R)-N-(2-(2-hydroxynaphthalen-1-yl)-4-methylphenyl)benzamide ((R)-7af)

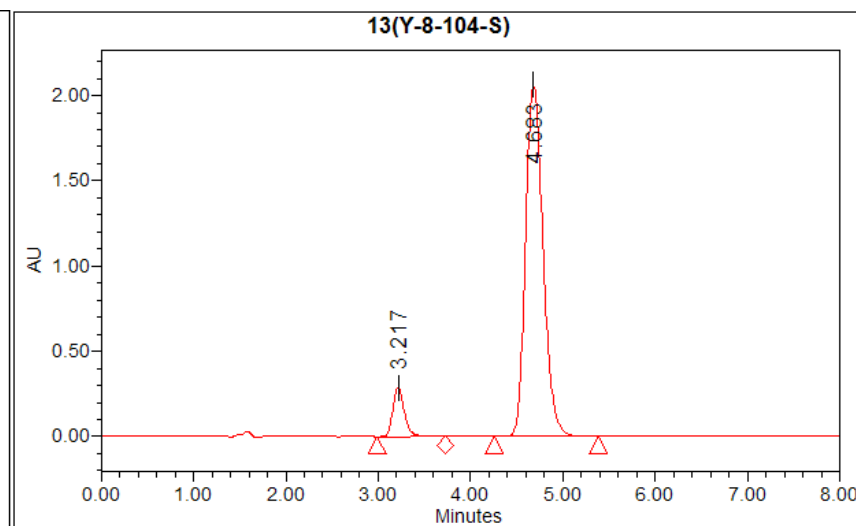


(S)-1-(2-benzamido-5-methylphenyl)naphthalen-2-yl 3-methylbutanoate (**9m**)



**Integration Results**

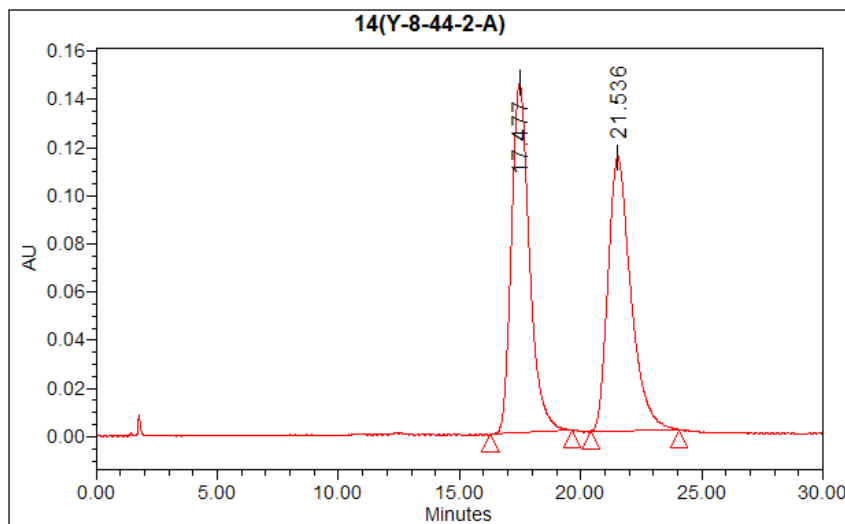
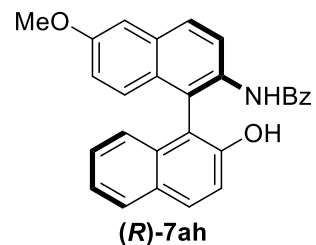
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.07	3.221	2.933	3.675	2302826	44.500	21355259
2	50.93	4.700	4.475	5.067	1734084	35.500	22160366
Sum	100.0						



**Integration Results**

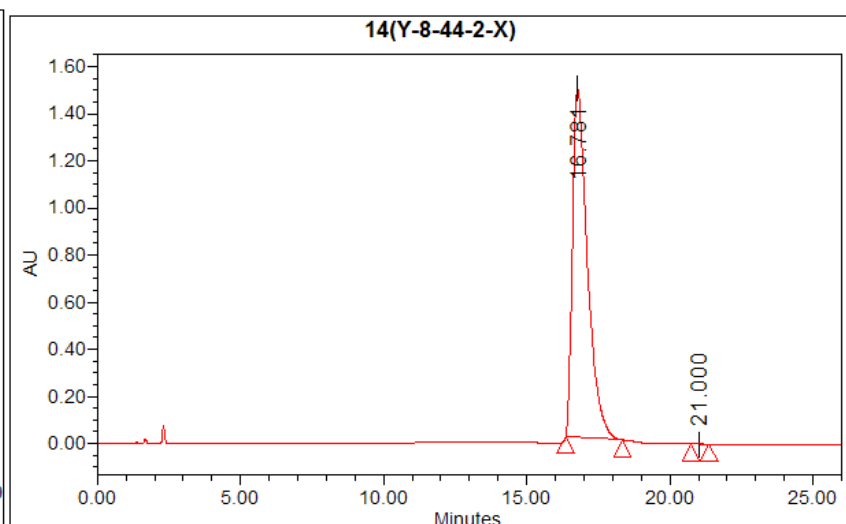
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	8.50	3.217	2.992	3.725	289878	44.000	2519513
2	91.50	4.683	4.258	5.383	2059157	67.500	27123668
Sum	100.0						

*(R)*-*N*-(2'-hydroxy-6-methoxy-[1,1'-binaphthalen]-2-yl)benzamide (**(R)**-7ah)



**Integration Results**

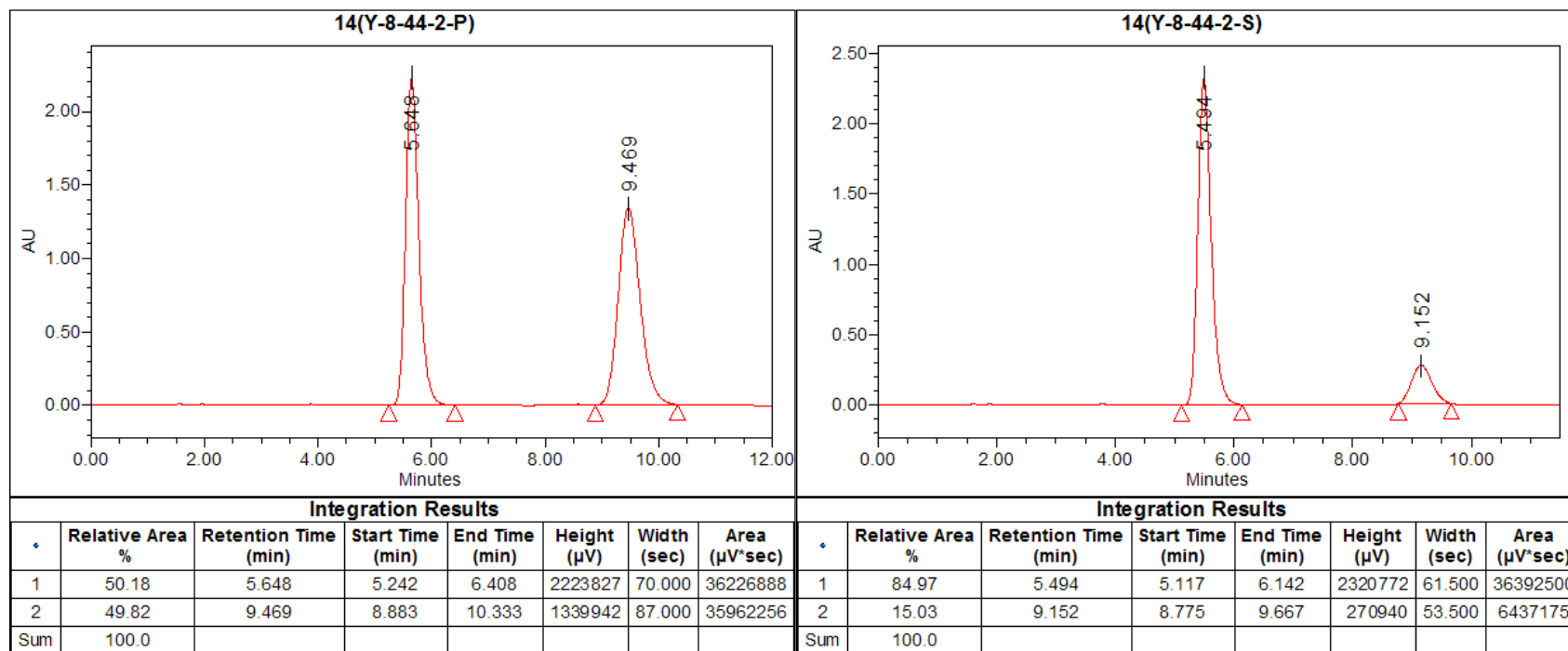
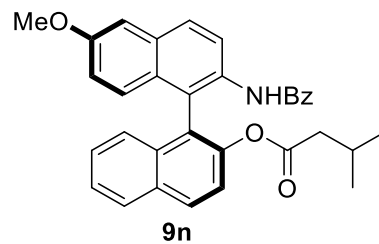
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV <sup>2</sup> sec)
1	50.25	17.477	16.283	19.642	145078	201.500	7546313
2	49.75	21.536	20.417	24.058	113872	218.500	7471664
Sum	100.0						



**Integration Results**

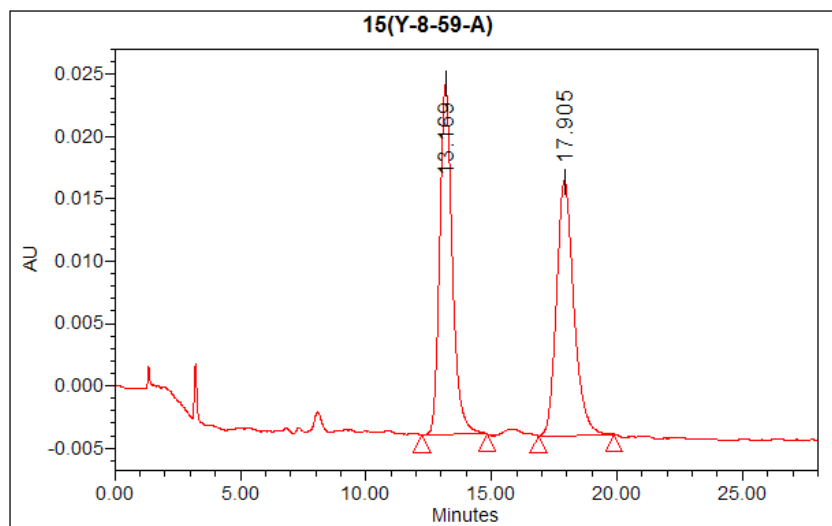
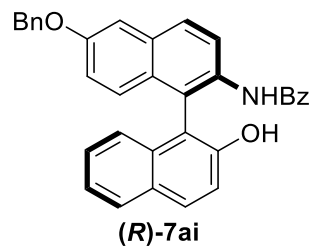
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV <sup>2</sup> sec)
1	99.99	16.781	16.367	18.350	1476400	119.000	53400718
2	0.01	21.000	20.725	21.375	379	39.000	7763
Sum	100.0						

(S)-2'-benzamido-6'-methoxy-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9n**)



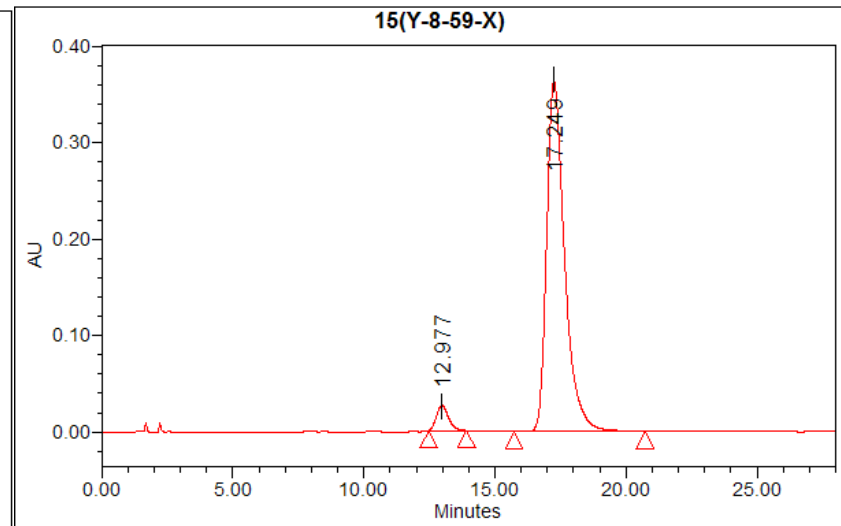


*(R)*-*N*-(6-(benzyloxy)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (*(R)*-7ai)



**Integration Results**

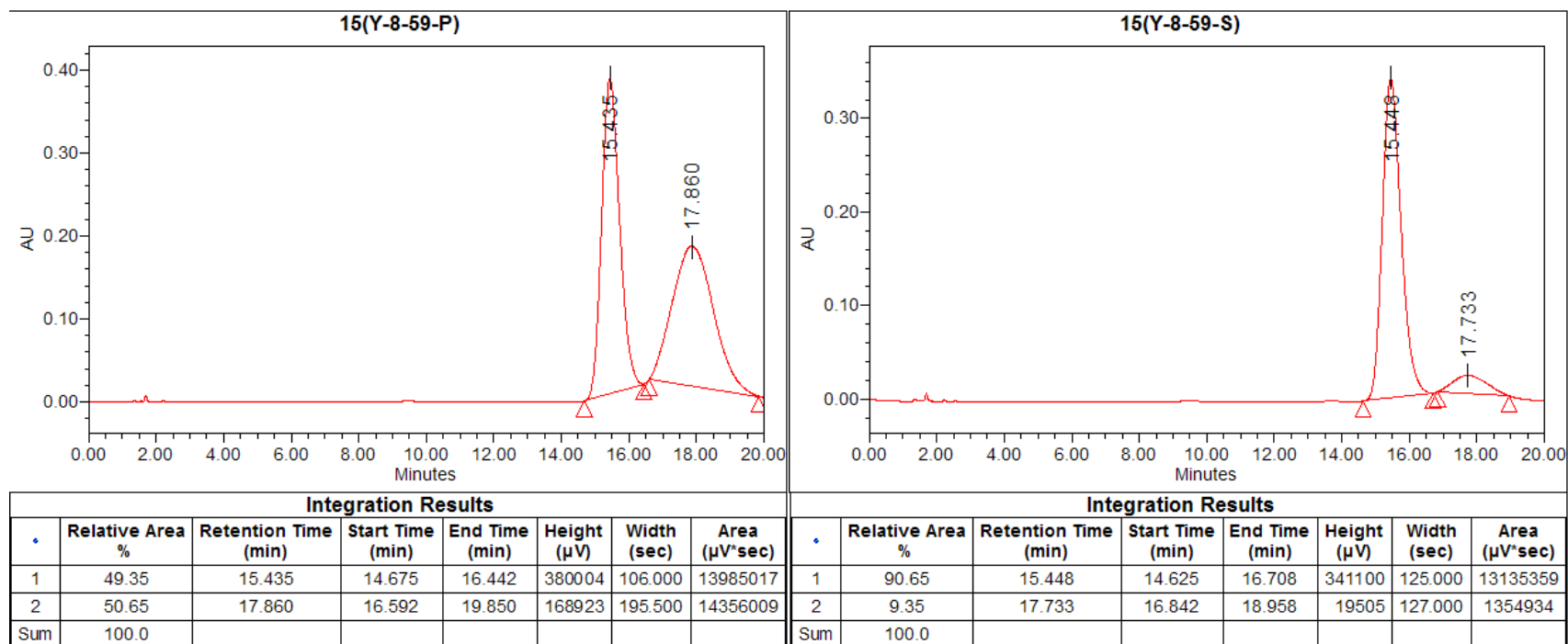
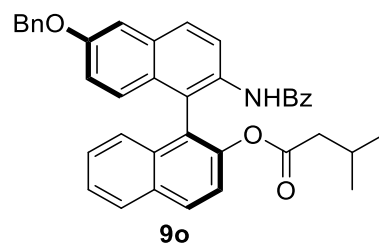
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.15	13.169	12.225	14.825	28124	156.000	986845
2	49.85	17.905	16.875	19.892	20424	181.000	981096
Sum	100.0						



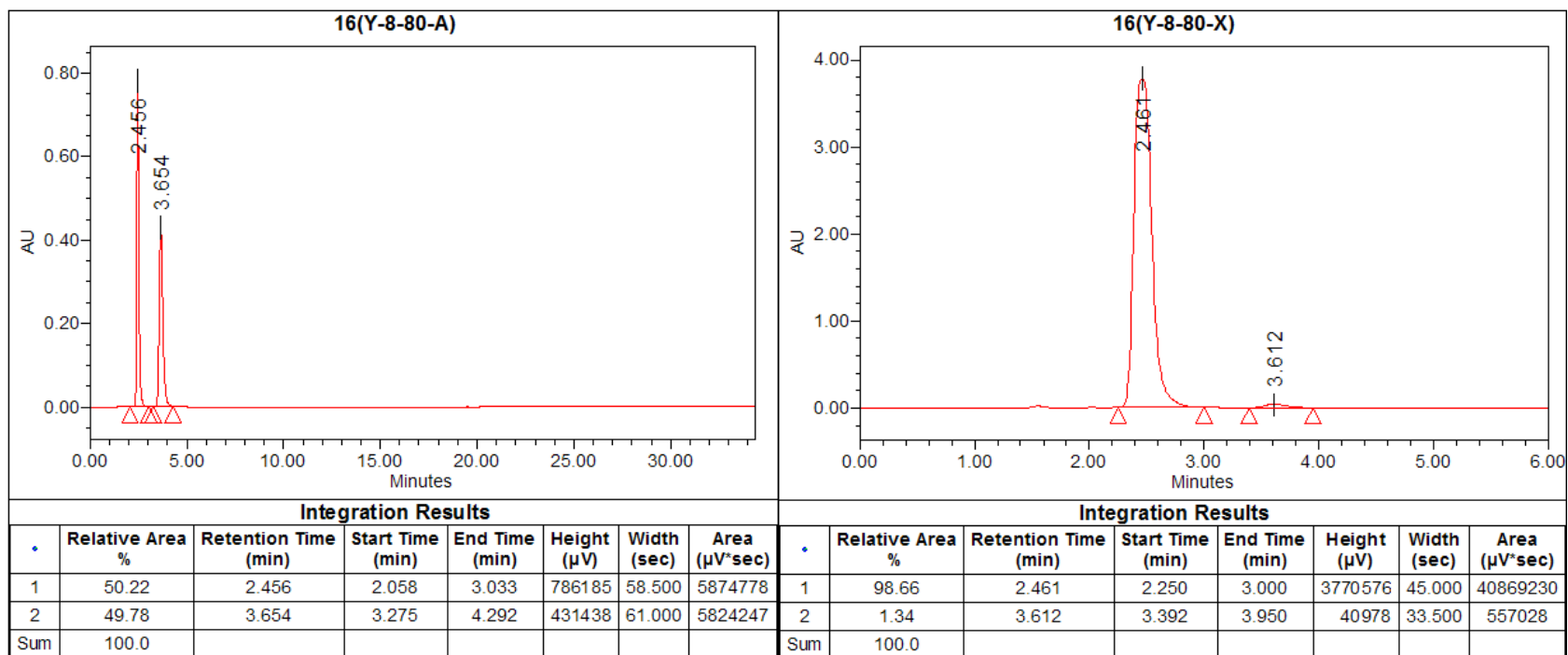
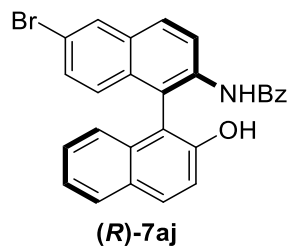
**Integration Results**

•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	4.61	12.977	12.475	13.925	26028	87.000	834817
2	95.39	17.249	15.717	20.725	364031	300.500	17255710
Sum	100.0						

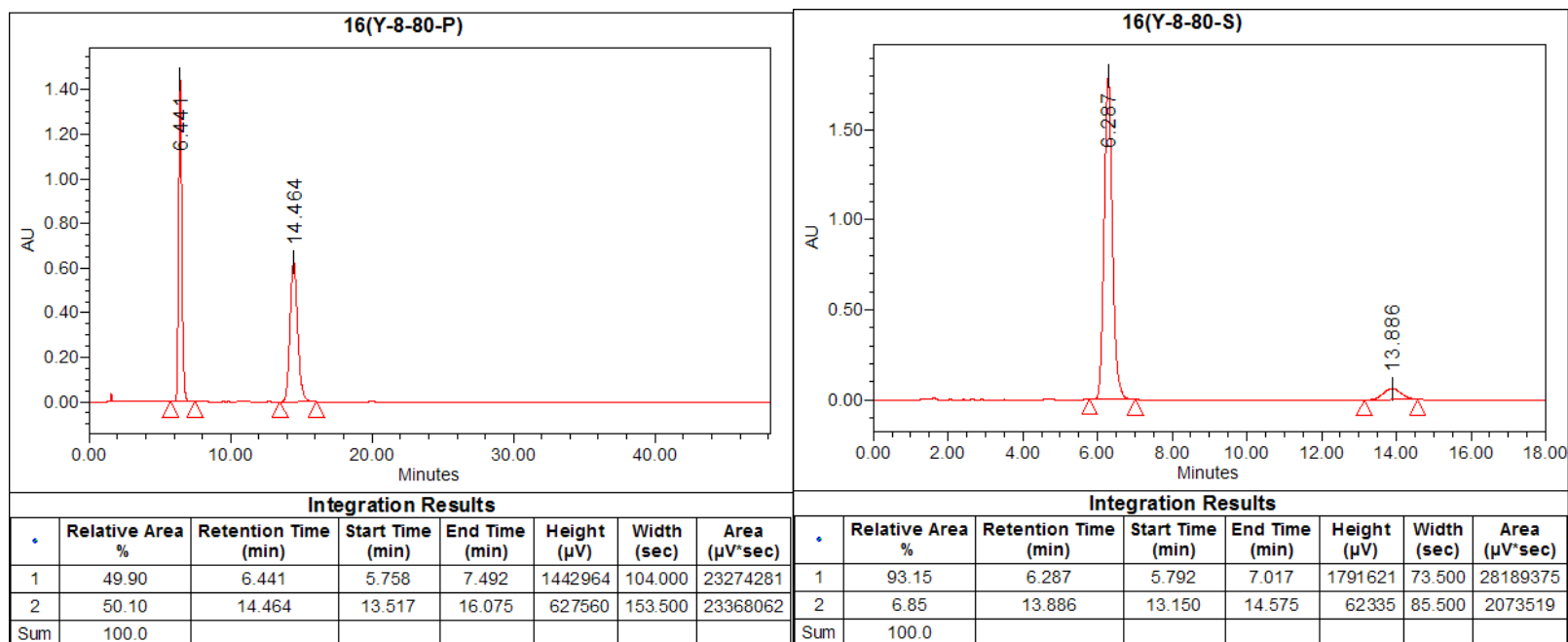
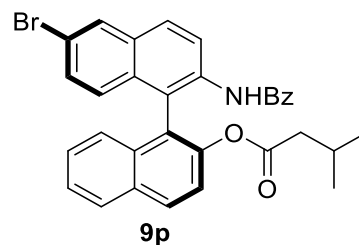
(S)-2'-benzamido-6'-(benzyloxy)-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9o**)



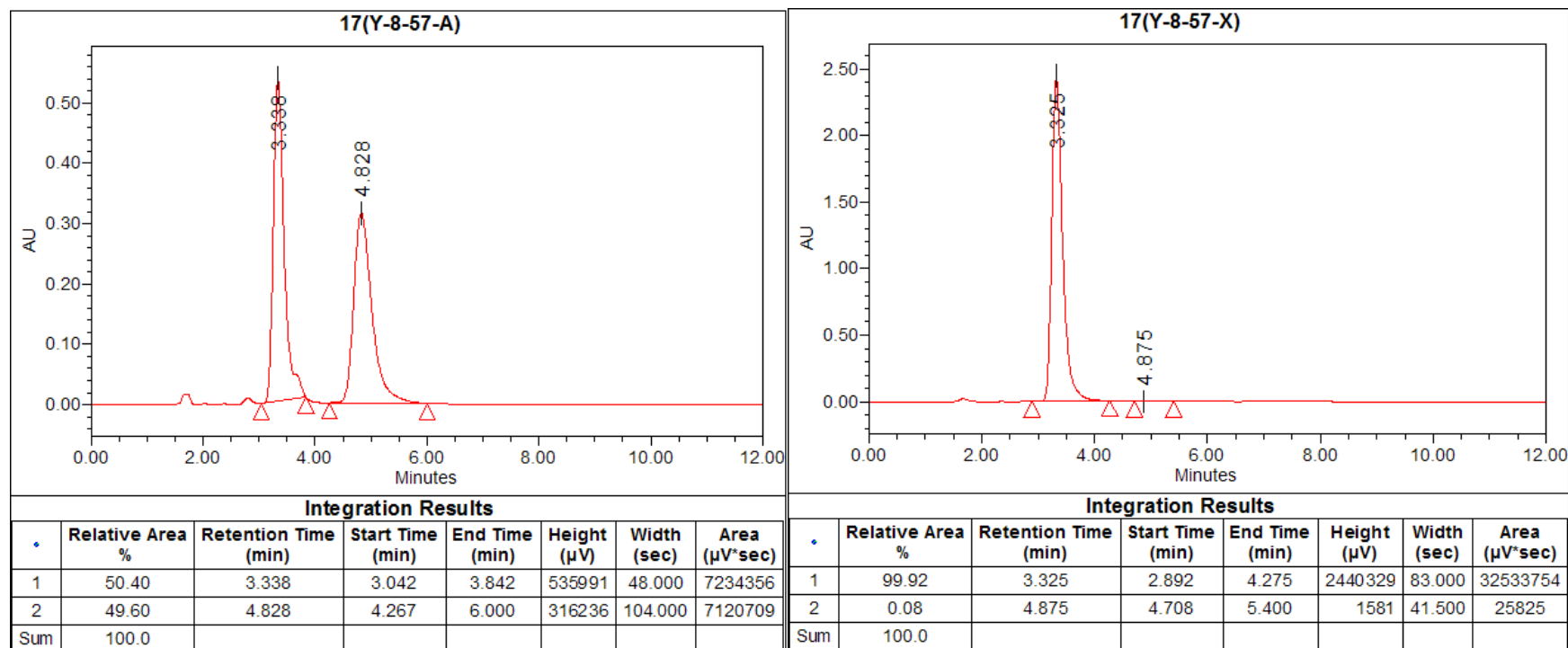
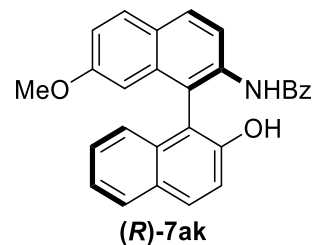
(*R*)-*N*-(6-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide ((*R*)-7aj)



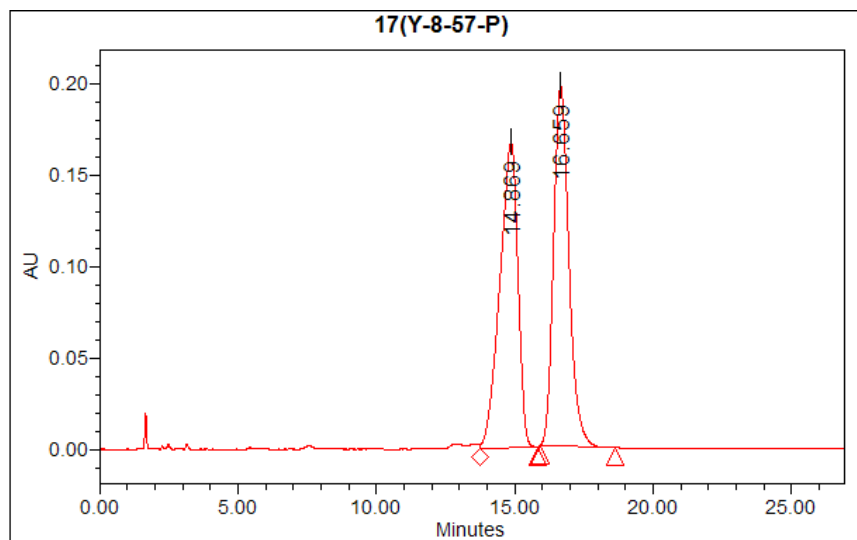
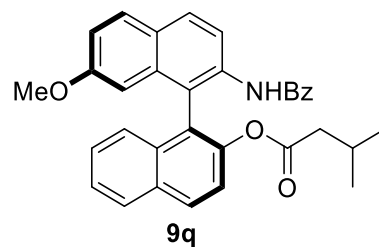
(S)-2'-benzamido-6'-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9p**)



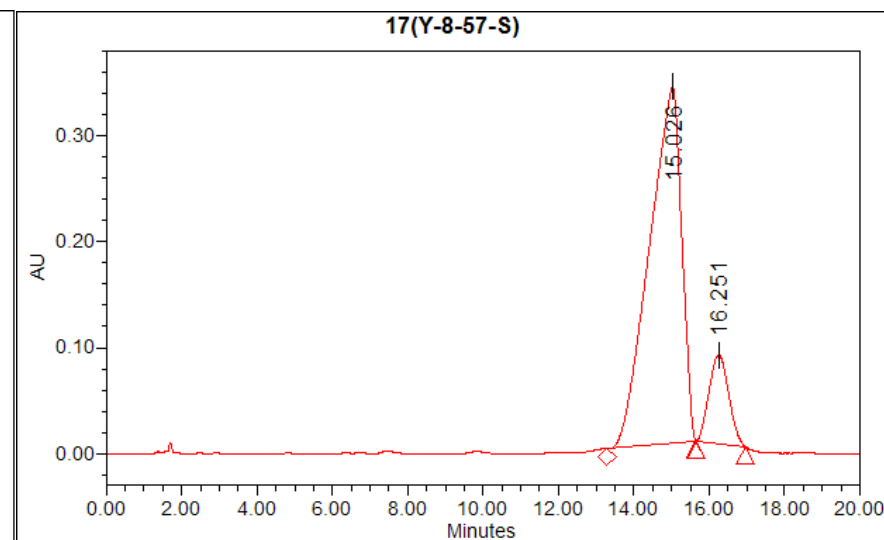
*(R)*-*N*-(2'-hydroxy-7-methoxy-[1,1'-binaphthalen]-2-yl)benzamide (*(R)*-7ak)



(S)-2'-benzamido-7'-methoxy-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9q**)

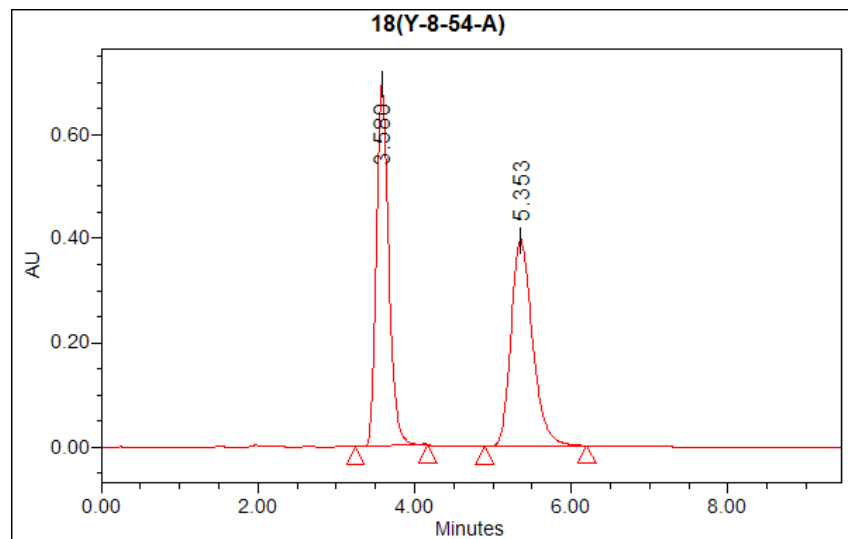
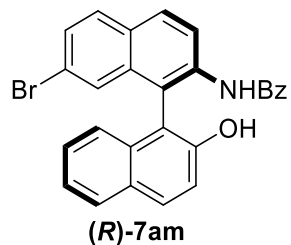


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.85	14.869	13.750	15.825	166877	124.500	7549820
2	50.15	16.659	15.917	18.633	197192	163.000	7594008
Sum	100.0						

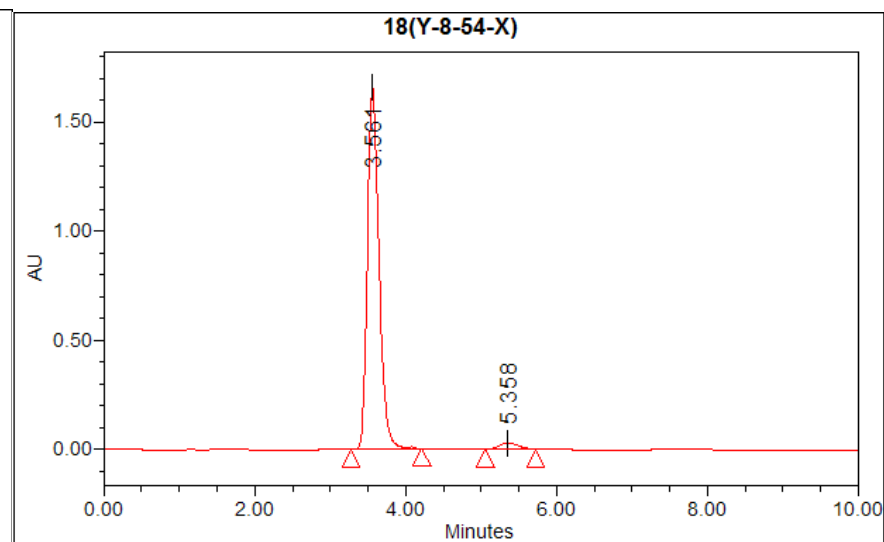


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	86.72	15.026	13.292	15.642	336041	141.000	19883544
2	13.28	16.251	15.667	16.967	83931	78.000	3045350
Sum	100.0						

*(R)*-*N*-(7-bromo-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (*(R)*-7am)

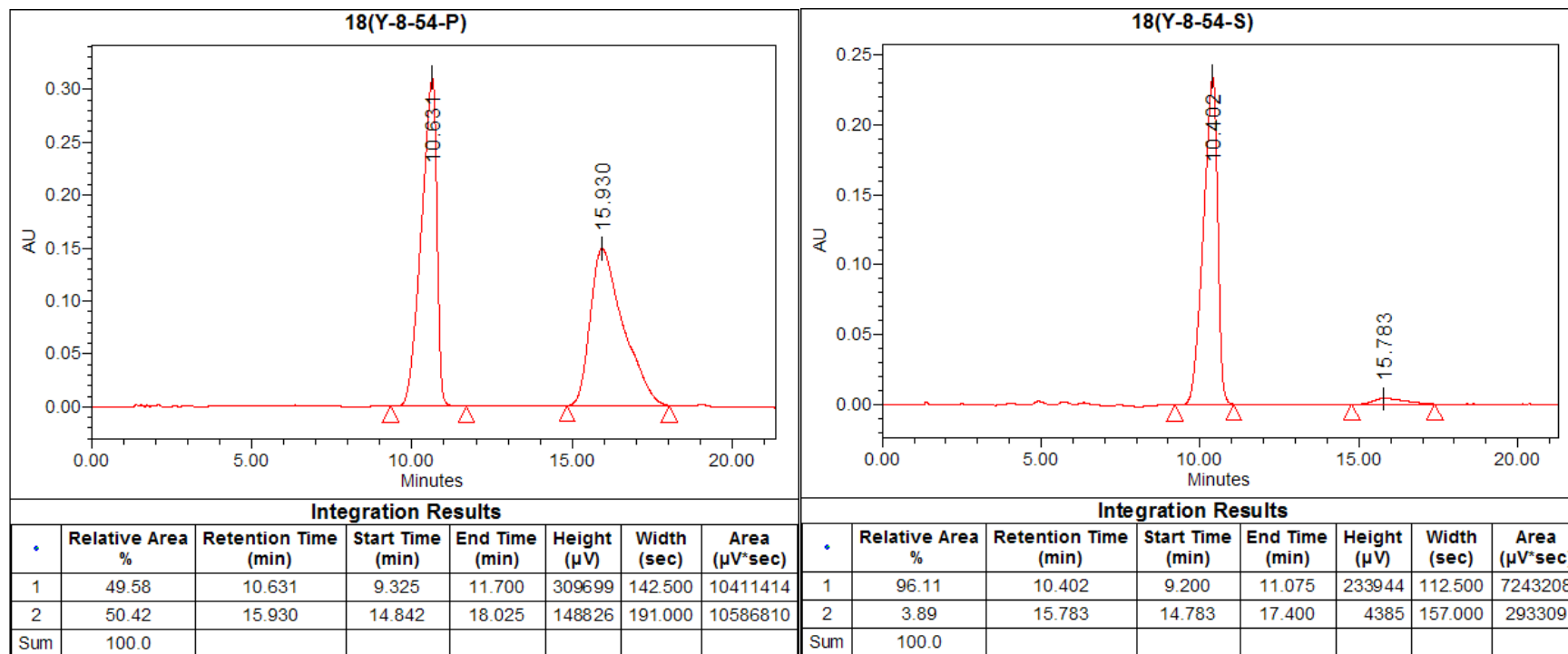
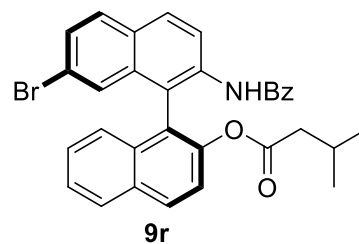


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.09	3.580	3.242	4.167	693172	55.500	7606945
2	49.91	5.353	4.892	6.200	397158	78.500	7578897
Sum	100.0						



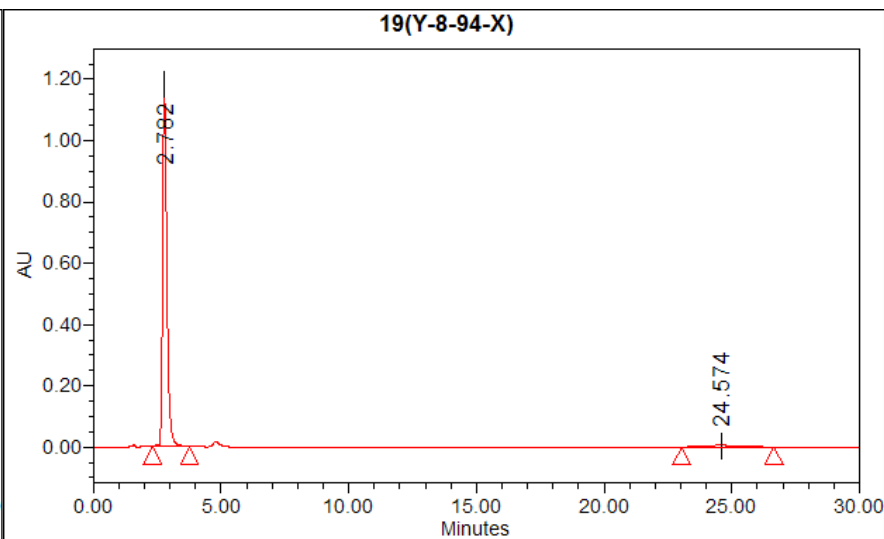
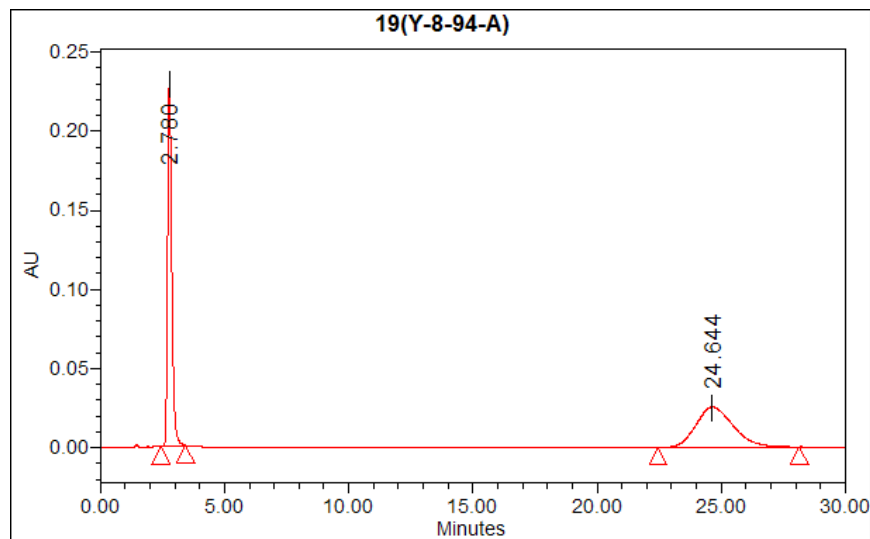
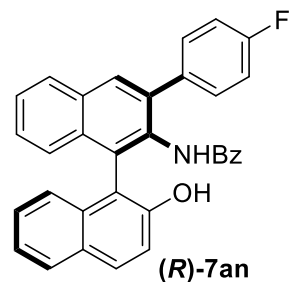
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	97.11	3.561	3.275	4.217	1654623	56.500	17644826
2	2.89	5.358	5.058	5.725	30072	40.000	524462
Sum	100.0						

(*S*)-2'-benzamido-7'-bromo-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9r**)





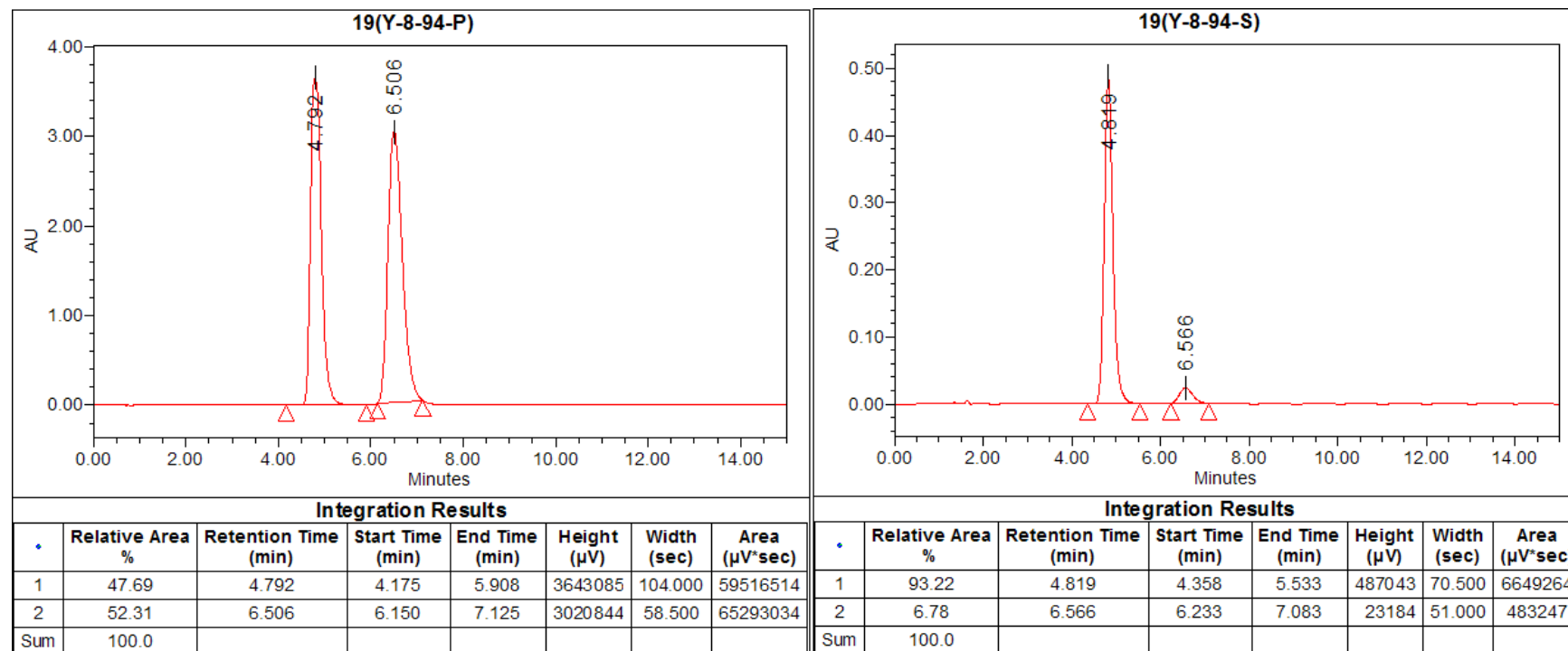
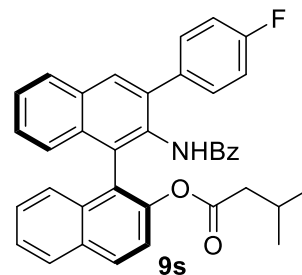
*(R)*-*N*-(3-(4-fluorophenyl)-2'-hydroxy-[1,1'-binaphthalen]-2-yl)benzamide (*(R)*-7an)



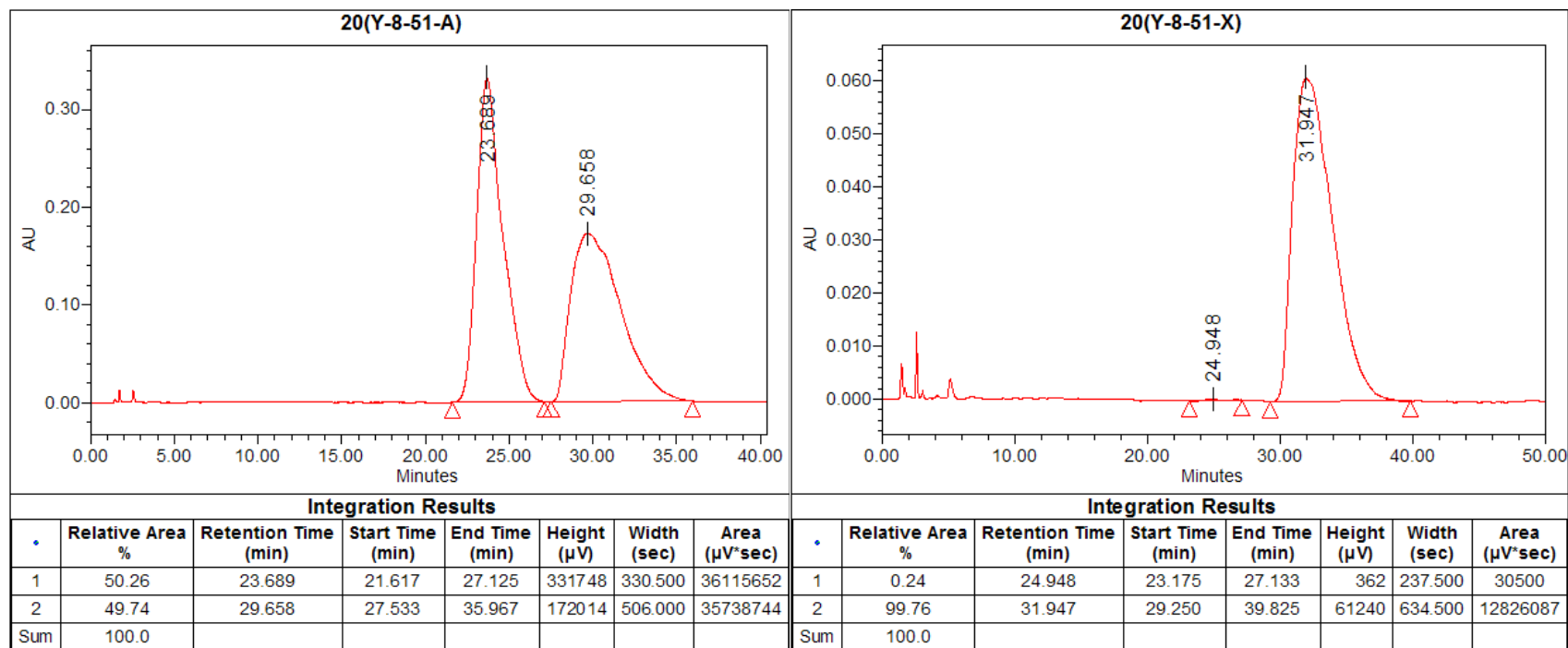
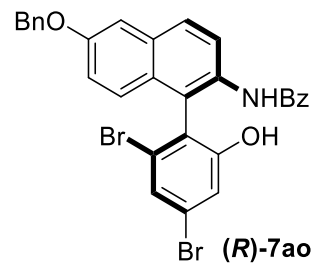
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.36	2.780	2.433	3.442	228492	60.500	2660358
2	49.64	24.644	22.467	28.150	25267	341.000	2622073
Sum	100.0						

Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	96.43	2.782	2.317	3.775	1180001	87.500	13766774
2	3.57	24.574	23.042	26.650	5334	216.500	510161
Sum	100.0						

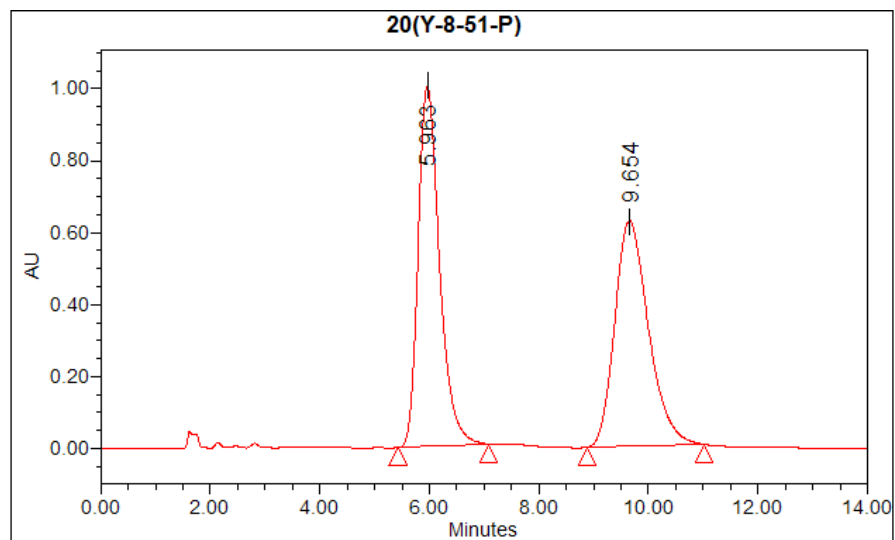
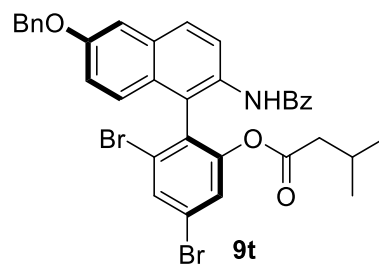
(S)-2'-benzamido-3'-(4-fluorophenyl)-[1,1'-binaphthalen]-2-yl 3-methylbutanoate (**9s**)



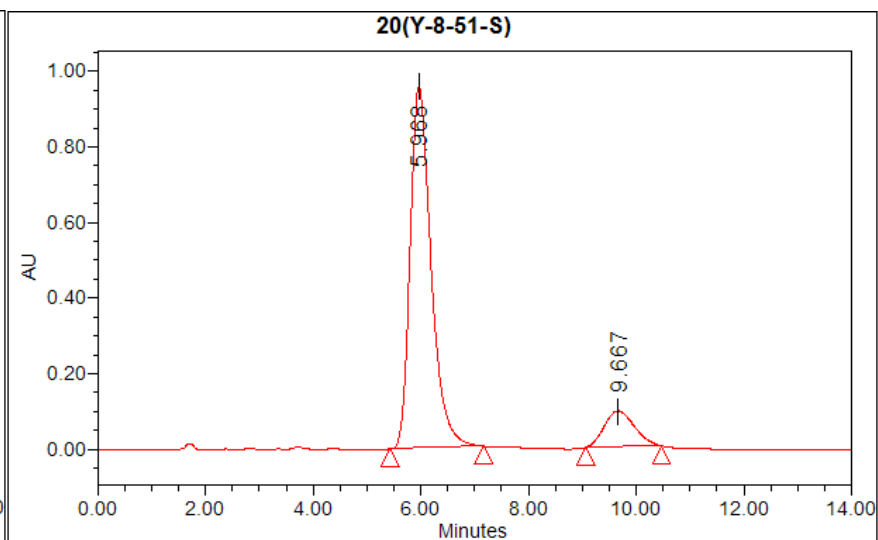
(*S*)-*N*-(6-(benzyloxy)-1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-7ao)



(*R*)-2-(2-benzamido-6-(benzyloxy)naphthalen-1-yl)-3,5-dibromophenyl 3-methylbutanoate (**9t**)

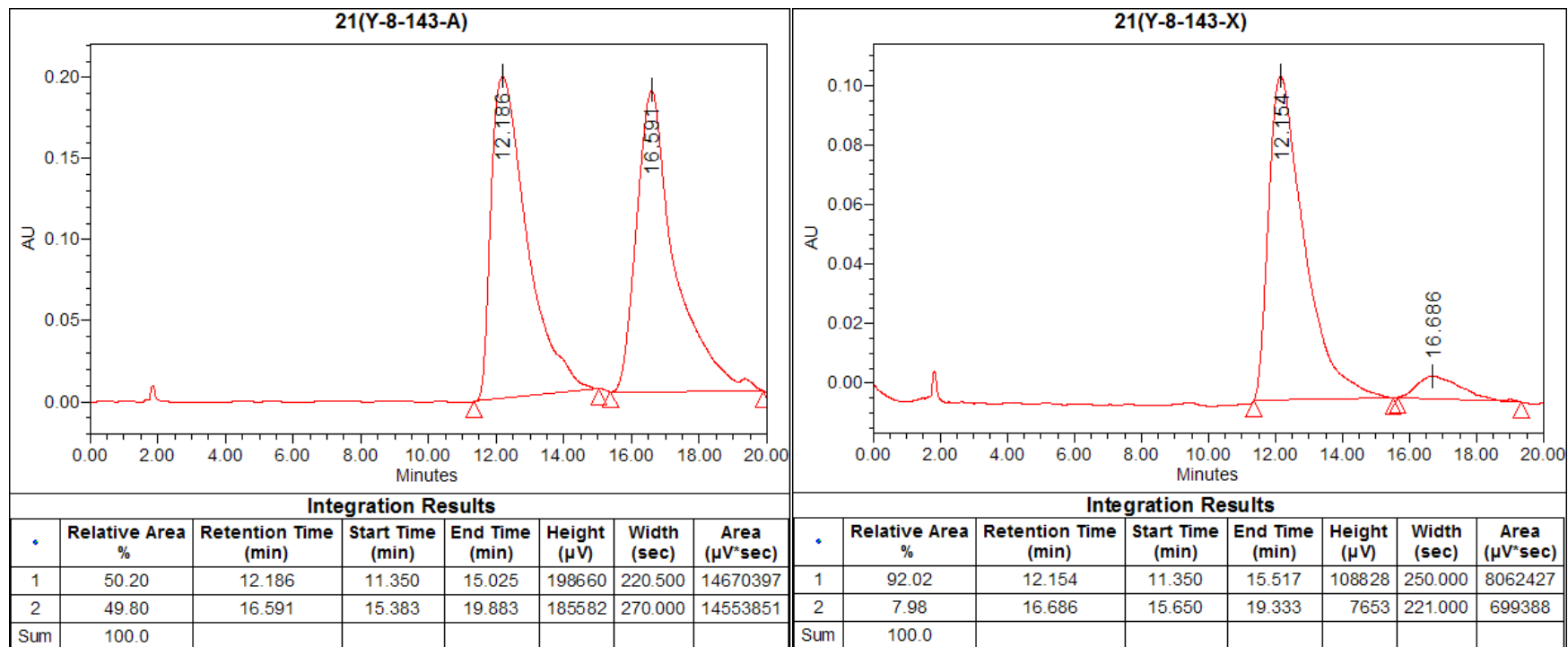
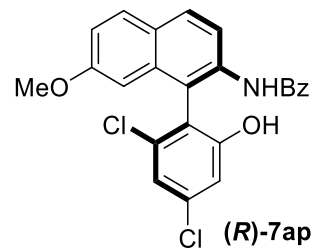


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV <sup>2</sup> sec)
1	50.22	5.963	5.425	7.083	1002055	99.500	27059955
2	49.78	9.654	8.883	11.017	627021	128.000	26824982
Sum	100.0						

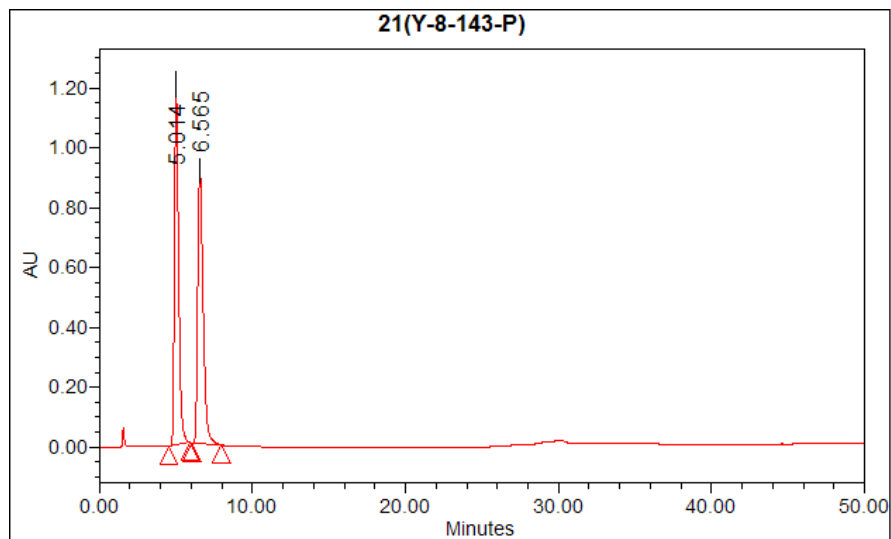
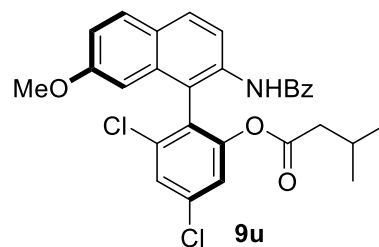


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV <sup>2</sup> sec)
1	87.39	5.968	5.433	7.167	954916	104.000	25784238
2	12.61	9.667	9.067	10.475	94786	84.500	3719844
Sum	100.0						

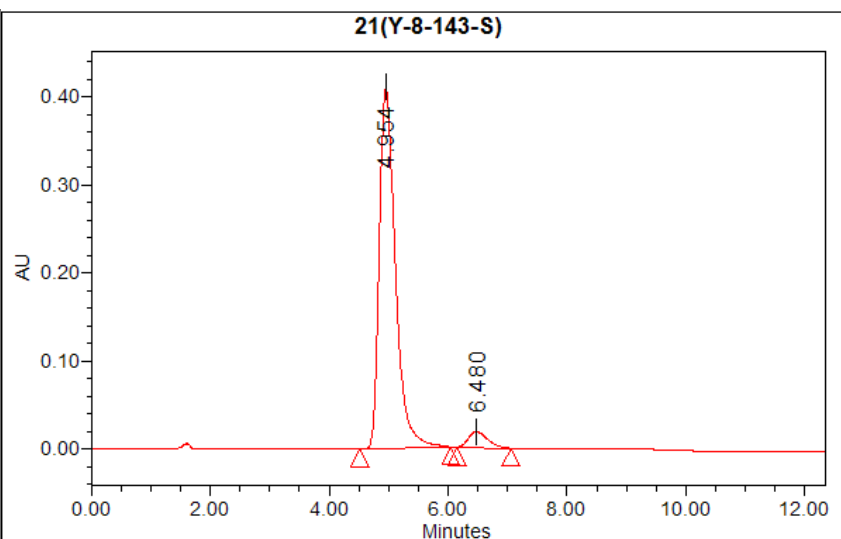
(*S*)-*N*-(1-(2,4-dichloro-6-hydroxyphenyl)-7-methoxynaphthalen-2-yl)benzamide ((*R*)-7ap)



*(R)*-2-(2-benzamido-7-methoxynaphthalen-1-yl)-3,5-dichlorophenyl 3-methylbutanoate (**9u**)

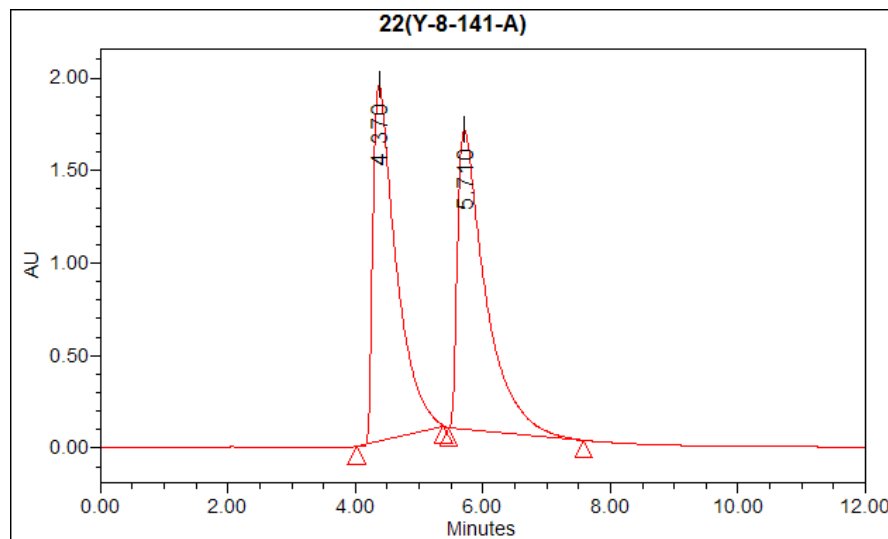
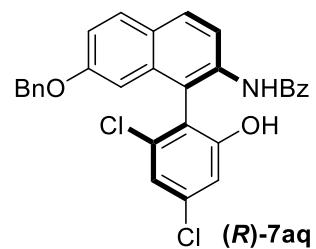


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.03	5.014	4.525	5.933	1204544	84.500	22622635
2	49.97	6.565	6.050	7.975	915157	115.500	22596927
Sum	100.0						

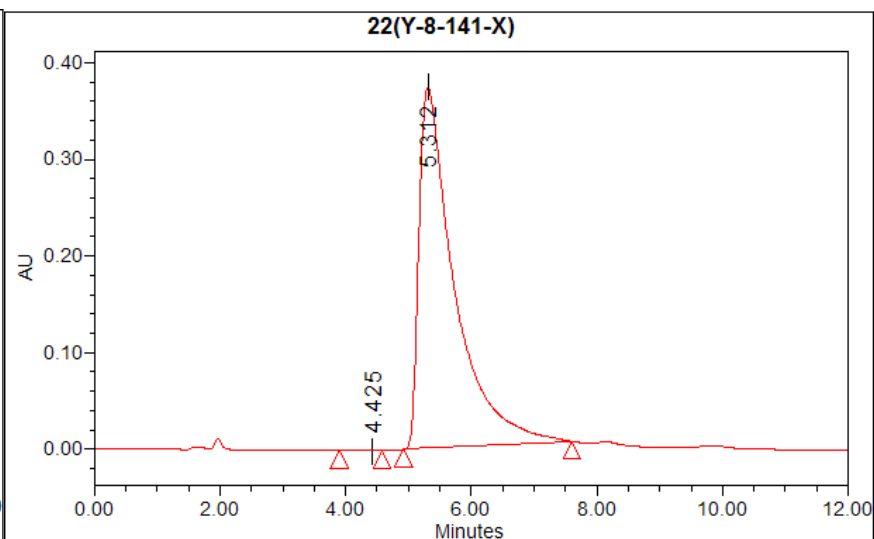


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	95.02	4.954	4.517	6.050	409751	92.000	7742603
2	4.98	6.480	6.150	7.058	18127	54.500	405929
Sum	100.0						

(*S*)-*N*-(7-(benzyloxy)-1-(2,4-dichloro-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-7aq)

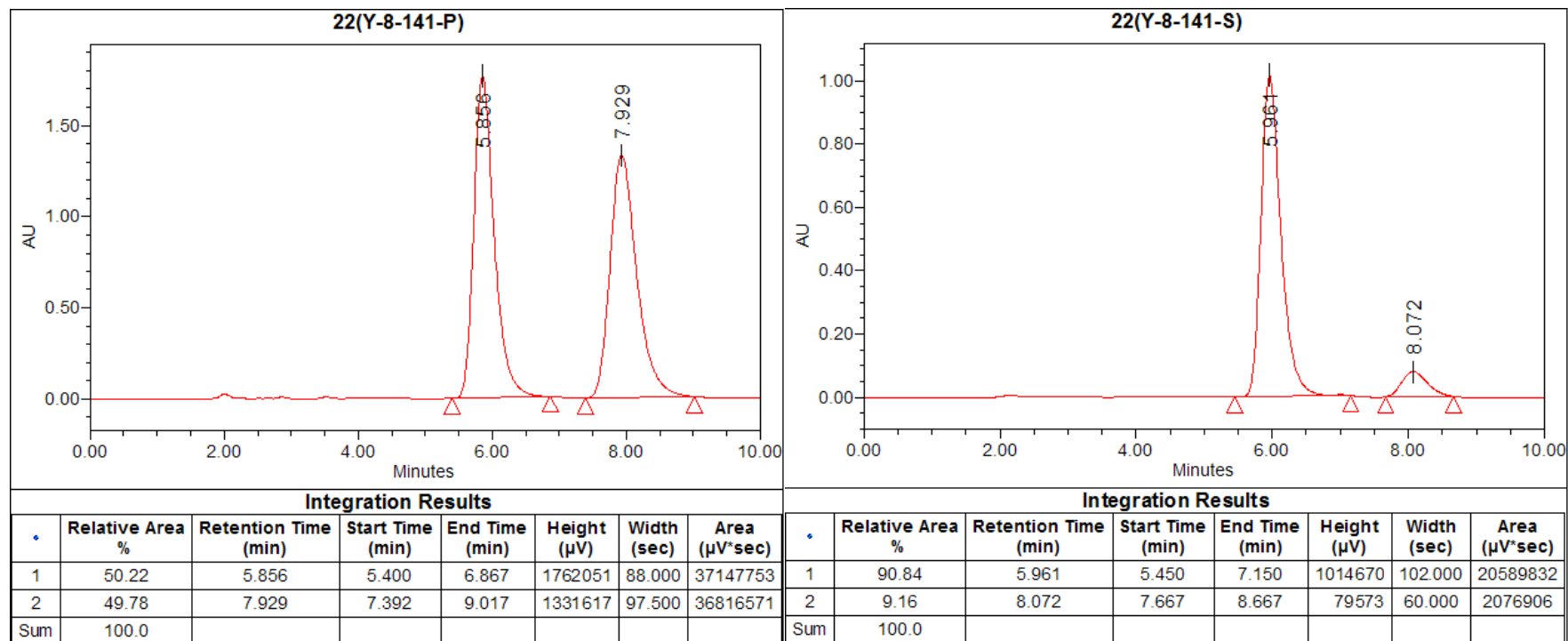
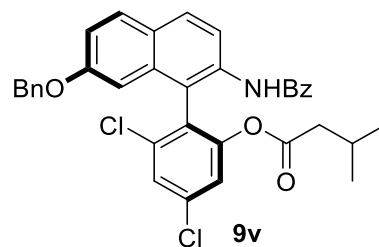


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.64	4.370	4.017	5.383	1926118	82.000	48754990
2	50.36	5.710	5.458	7.583	1620591	127.500	49452830
Sum	100.0						



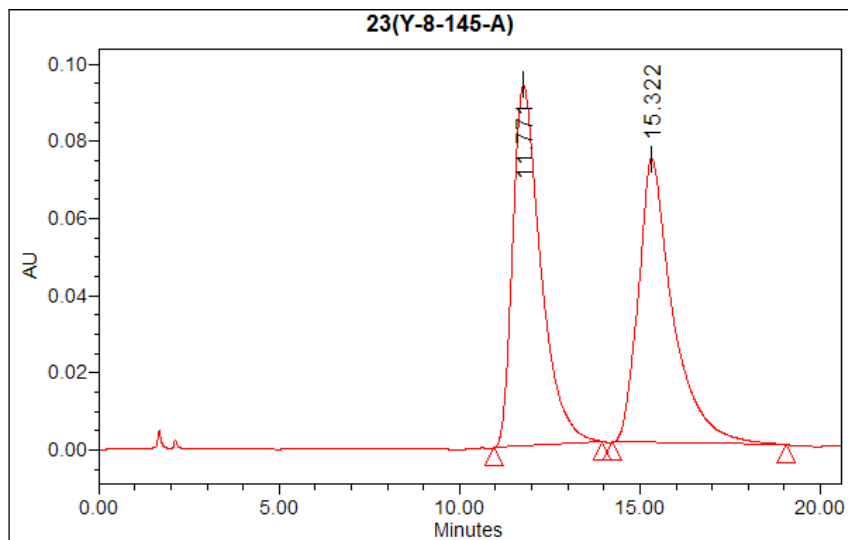
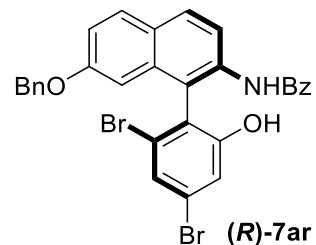
Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	0.01	4.425	3.900	4.575	86	40.500	1389
2	99.99	5.312	4.925	7.608	373438	161.000	14675993
Sum	100.0						

(*R*)-2-(2-benzamido-7-(benzyloxy)naphthalen-1-yl)-3,5-dichlorophenyl 3-methylbutanoate (**9v**)



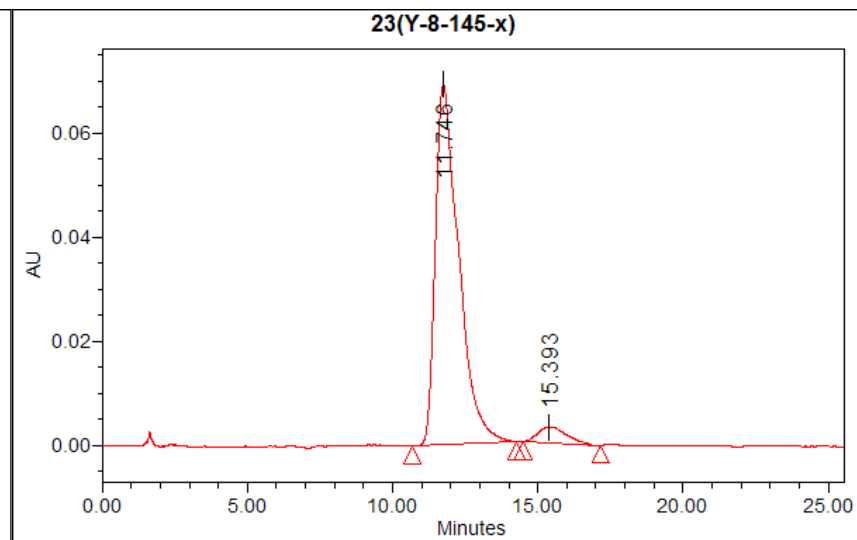


(*S*)-*N*-(7-(benzyloxy)-1-(2,4-dibromo-6-hydroxyphenyl)naphthalen-2-yl)benzamide ((*R*)-7ar)



**Integration Results**

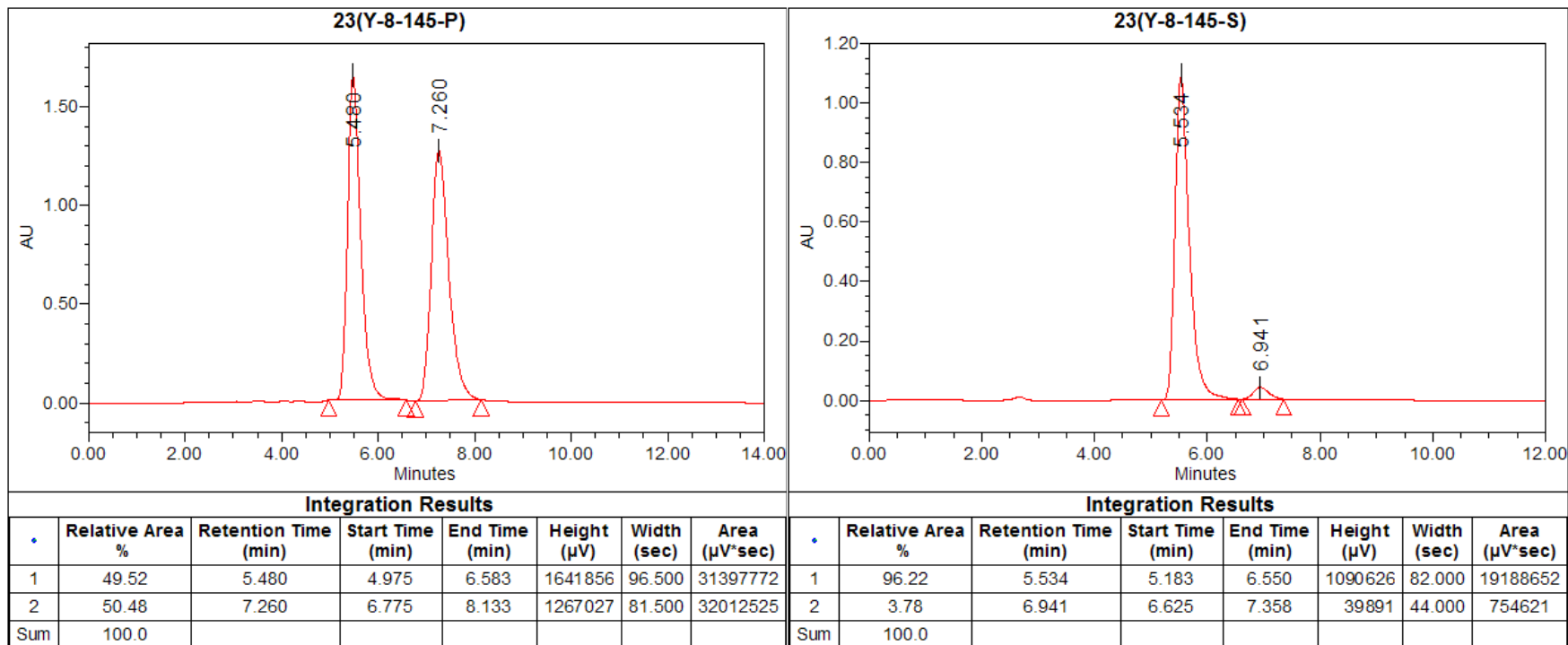
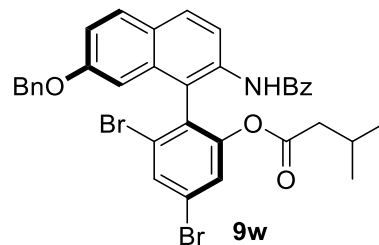
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV·sec)
1	50.82	11.771	10.958	13.942	93501	179.000	5064577
2	49.18	15.322	14.233	19.042	73679	288.500	4901961
Sum	100.0						



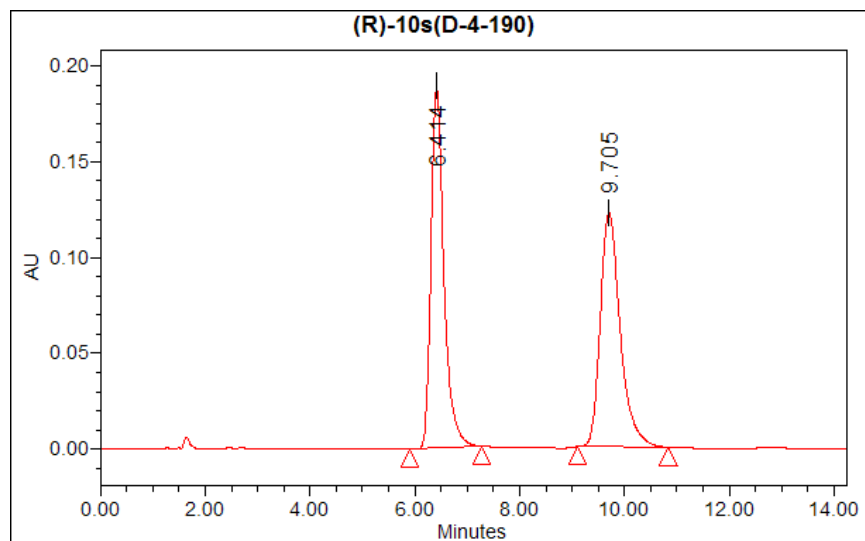
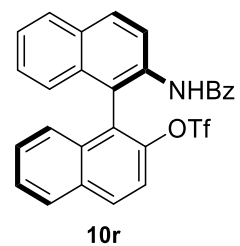
**Integration Results**

•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV·sec)
1	94.63	11.746	10.683	14.267	69255	215.000	3885740
2	5.37	15.393	14.483	17.167	3162	161.000	220597
Sum	100.0						

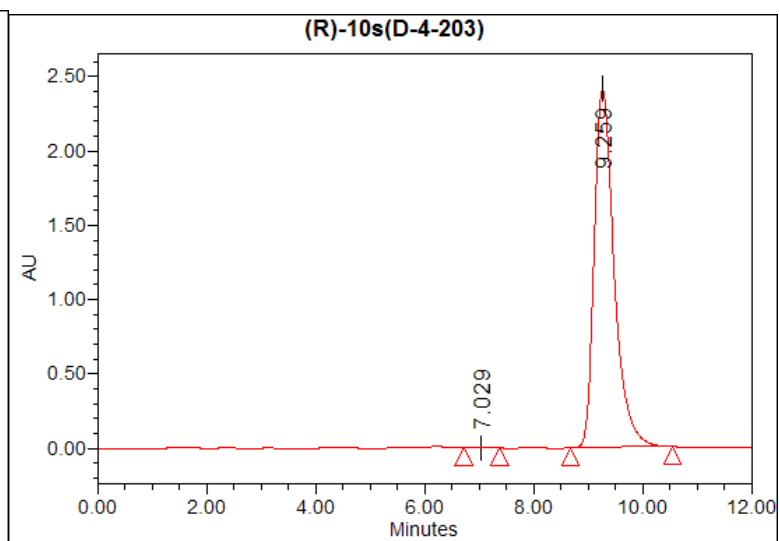
(*R*)-2-(2-benzamido-7-(benzyloxy)naphthalen-1-yl)-3,5-dibromophenyl 3-methylbutanoate (**9w**)



(R)-2'-benzamido-[1,1'-binaphthalen]-2-yl trifluoromethanesulfonate (**10r**)

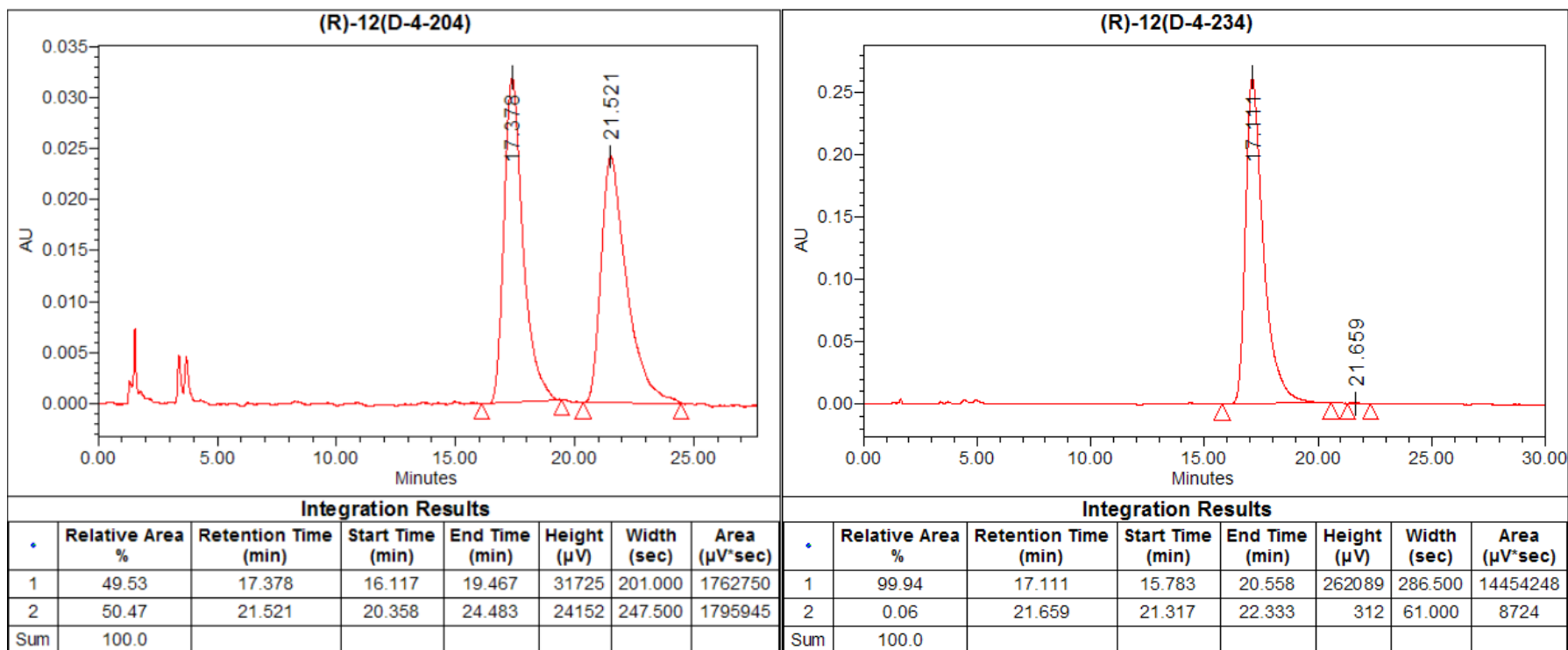
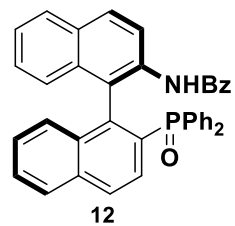


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	50.15	6.414	5.908	7.267	188786	81.500	3238923
2	49.85	9.705	9.100	10.833	122352	104.000	3219539
Sum	100.0						

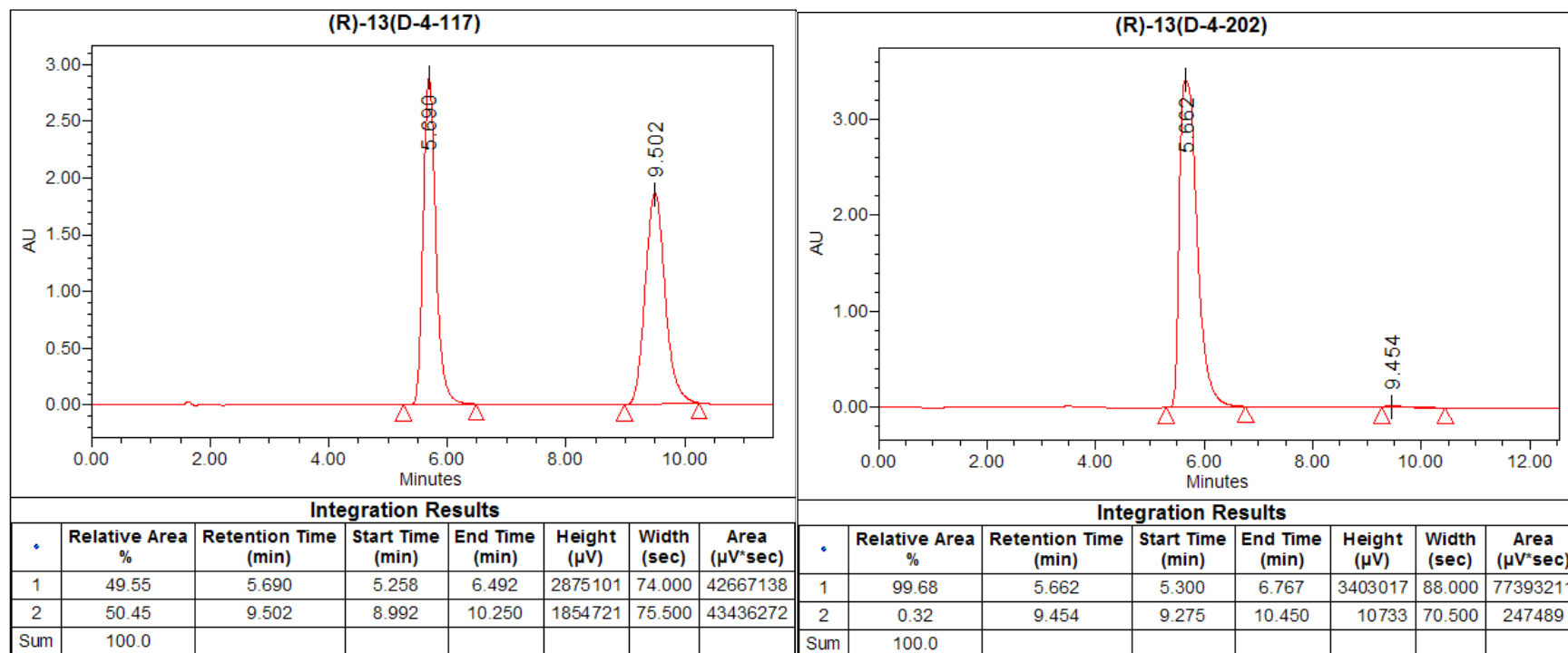
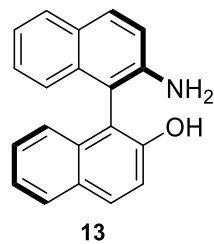


Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	0.11	7.029	6.708	7.367	3896	39.500	
2	99.89	9.259	8.675	10.542	2408780	112.000	
Sum	100.0						

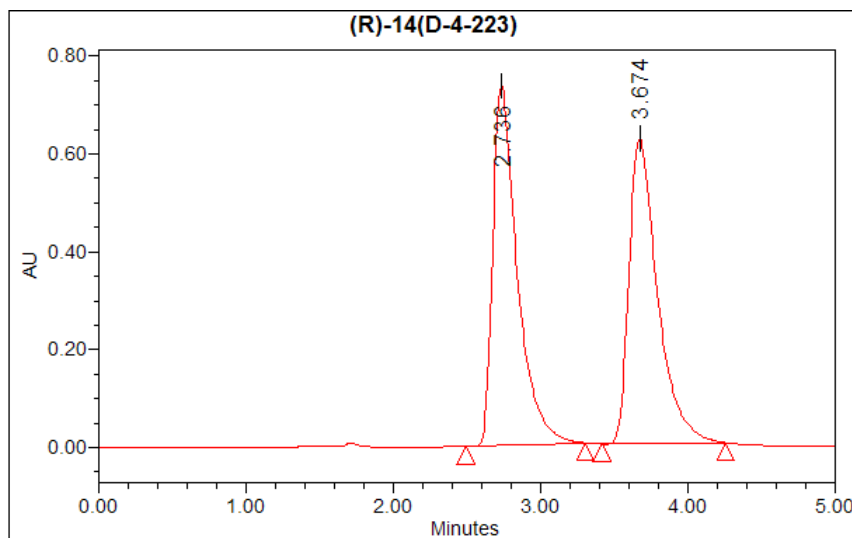
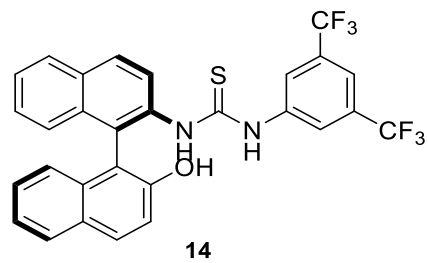
(R)-N-(2'-(diphenylphosphoryl)-[1,1'-binaphthalen]-2-yl)benzamide (12)



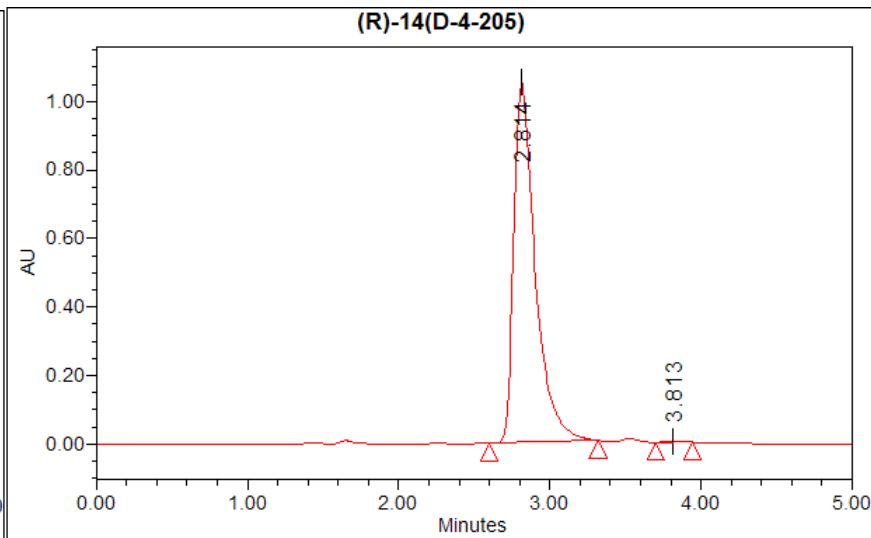
(R)-2'-amino-[1,1'-binaphthalen]-2-ol (13)



(R)-1-(3,5-bis(trifluoromethyl)phenyl)-3-(2'-hydroxy-[1,1'-binaphthalen]-2-yl)thiourea (**14**)

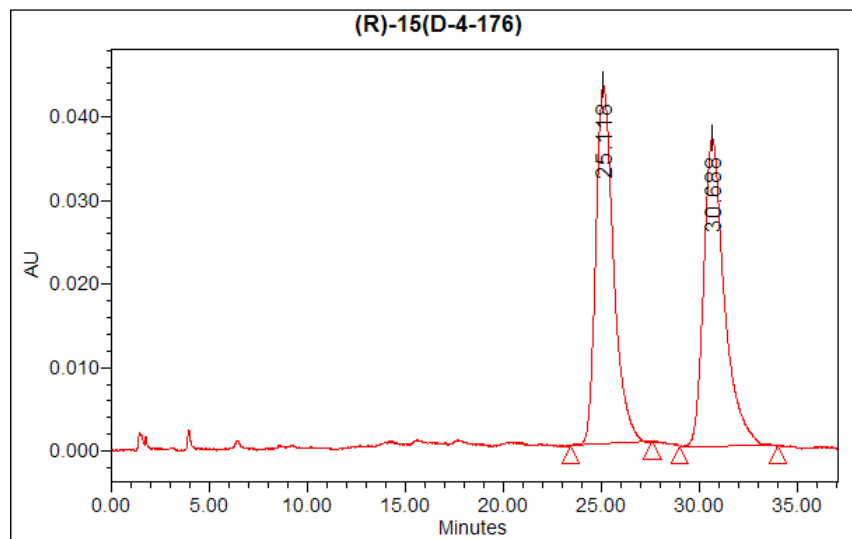
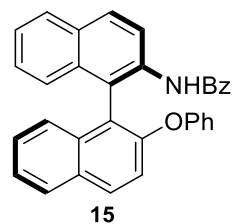


Integration Results						
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Area (μV*sec)
1	50.26	2.736	2.492	3.308	736177	8475021
2	49.74	3.674	3.417	4.258	624887	8388357
Sum	100.0					

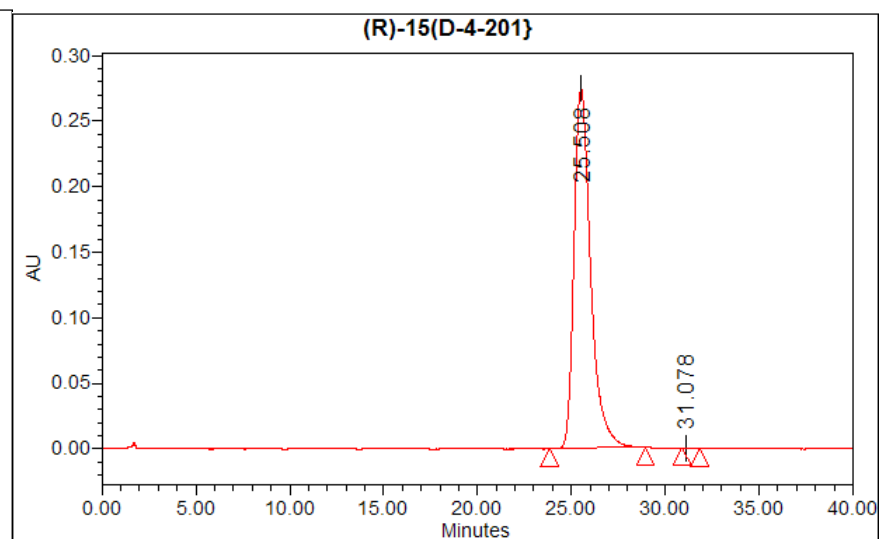


Integration Results						
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Area (μV*sec)
1	99.78	2.814	2.600	3.317	1048651	10370758
2	0.22	3.813	3.700	3.942	2961	23097
Sum	100.0					

(R)-N-(2'-phenoxy-[1,1'-binaphthalen]-2-yl)benzamide (15)



Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	49.80	25.118	23.433	27.608	42971	250.500	2693216
2	50.20	30.688	29.042	34.033	36783	299.500	2714771
Sum	100.0						



Integration Results							
•	Relative Area %	Retention Time (min)	Start Time (min)	End Time (min)	Height (μV)	Width (sec)	Area (μV*sec)
1	99.99	25.508	23.833	28.950	274040	307.000	16986816
2	0.01	31.078	30.908	31.842	94	56.000	1876
Sum	100.0						