

H-bond network facilitated, diversity-oriented green synthesis of valuable organic compounds *via* atom-economic, regio- and stereoselective reactions involving ynamides and anilines

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Electronic supplementary information

Contents

1. General consideration.....	S2
1.1 General reagent information	S2
1.2 General analytical information	S2
2. Synthesis of starting materials, ynamides (1a–1k)	S2
3. General experiment procedure for the synthesis of acetimidamides (3aa–3ap).	S3
4. General experiment procedure for the synthesis of ketene <i>N,N</i> -acetals (5aa–5ab).	S3
5. General experiment procedure for the synthesis of α -aryl enamides (7aa–7ja)	S4
6. General experiment procedure for the synthesis of 2-amino indole (13–16).....	S4
7. General experiment procedure for the synthesis of 3,4-dihydroquinolines (9aa–9ka).....	S5
8. General experiment procedure for the synthesis of 2-aminoquinoline (11aa–11ac).....	S5
9. Crystal structures of 3ea , 5aa , 7ja , 16 and 11ab	S6
10. Table-S1. Selected crystal data of 3ea , 5aa , 7ja , 16 and 11ab	S8
11. Analytical data of synthesized compounds.....	S10
12. References	S21
13. NMR spectra of synthesized compounds.	S21

1. General consideration

1.1 General reagent information

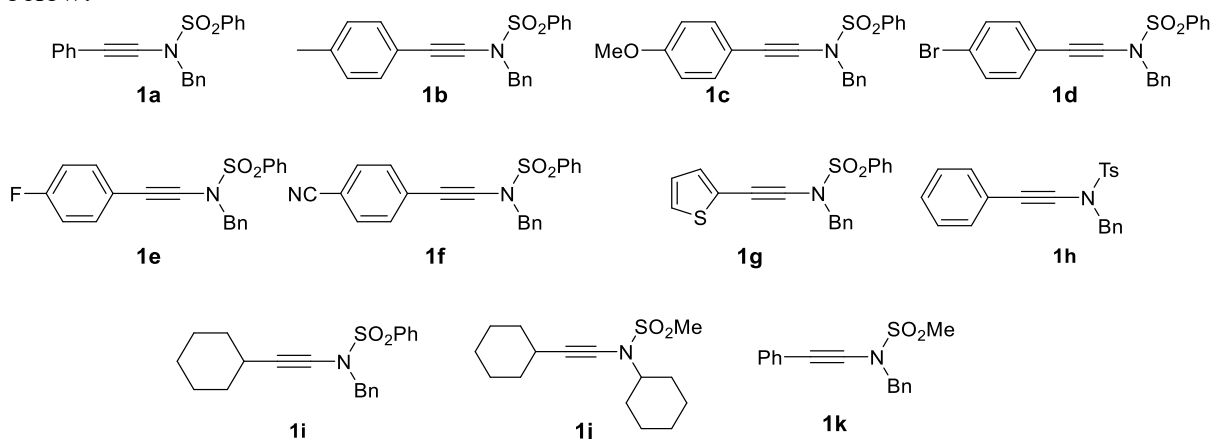
All reagents were purchased from BLD pharma, TCI chemicals, Sigma-Aldrich, AVRA, chemscene and SRL chemicals and used as such. Flash chromatography was performed using silica gel (100-200 mash).

1.2 General analytical information

The products were characterized by ^1H , and ^{13}C NMR spectra, which were recorded on a Bruker 400 MHz instrument (400 MHz for ^1H NMR, 100 MHz for ^{13}C NMR). Copies of ^1H , ^{13}C NMR spectra can be found at the end of the Supporting Information. ^1H NMR experiments are reported in units, parts per million (ppm), and were measured relative to residual chloroform (7.26 ppm) or DMSO (2.5 ppm) in the deuterated solvent. ^{13}C NMR spectra were reported in ppm relative to deuteriochloroform (77.00 ppm) or DMSO- d_6 (40 ppm), and all were obtained with ^1H decoupling. Coupling constants were reported in Hz. Reactions were monitored by thin layer chromatography (TLC) and ^1H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as the internal standard. Mass spectral data of unknown compounds were obtained on a high-resolution mass spectrometer, HRMS (6546 Q-TOF LC/MS, Agilent). Melting points of unknown compounds were recorded on a KRUSS Optronic M3000 apparatus. The solvent system used for crystallization was a mixture of dichloromethane and hexane (2:1). The crystals were grown by the slow evaporation of the solvent from the solution. The single-crystal XRD data collection and data reduction were performed using CrysAlis PRO on a single-crystal Rigaku Oxford XtaLab Pro diffractometer.

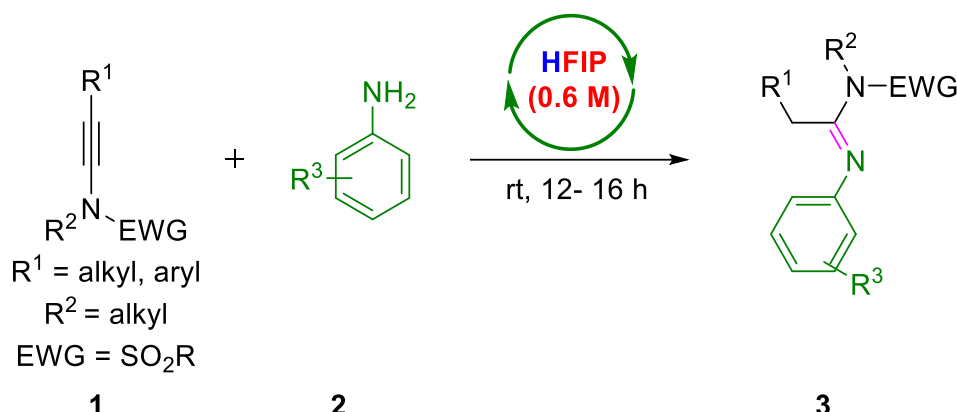
2. Synthesis of starting materials, ynamides (1a–1k)

The structures of the ynamides, which were synthesized and used in the reactions are given below:



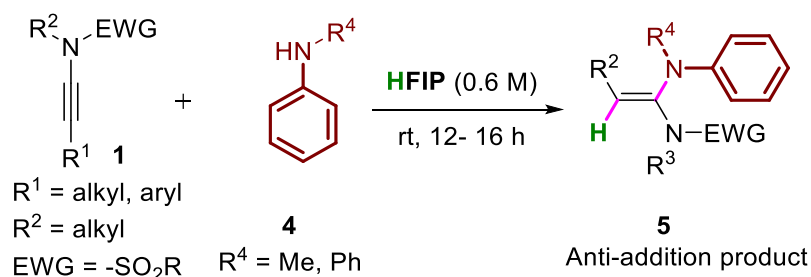
All ynesulfonamides (1a–1k)^{1,2} were synthesized by following a literature procedure.

3. General experiment procedure for the synthesis of acetimidamides (3aa-3ap).



Representative experimental procedure for the synthesis of (*E*)-*N*-benzyl-*N'*,2-diphenyl-*N*-(phenylsulfonyl)acetimidamide (3aa): *N*-Benzyl-*N*-(phenylethynyl)benzenesulfonamide **1a** (0.104 g, 0.3 mmol, 1 equiv) and aniline **2a** (0.028g, 0.30 mmol, 1.0 equiv) were taken in a round-bottom flask, and then dry HFIP (0.5 mL, 0.6 M) was added to it. The reaction mixture was stirred at room temperature (25 °C). The progress of the reaction was monitored by TLC, and the reaction was found to be completed in 12 h. After the completion of the reaction, the solvent was evaporated under reduced pressure, and the crude product was purified by washing with cold ethanol (2 mL) to afford (*E*)-*N*-benzyl-*N'*,2-diphenyl-*N*-(phenylsulfonyl)acetimidamide (**3aa**) (0.130 g, 0.28 mmol) as a white solid in 95% yield. Several products such as **3ba**, **3ca**, **3da**, **3ea**, **3fa**, **3ga**, **3ha**, **3ab**, **3ad**, **3ae**, **3ah**, **3aj**, and **3ap** were obtained pure by a simple cold ethanol wash; however, the other products, were obtained pure by column chromatography.

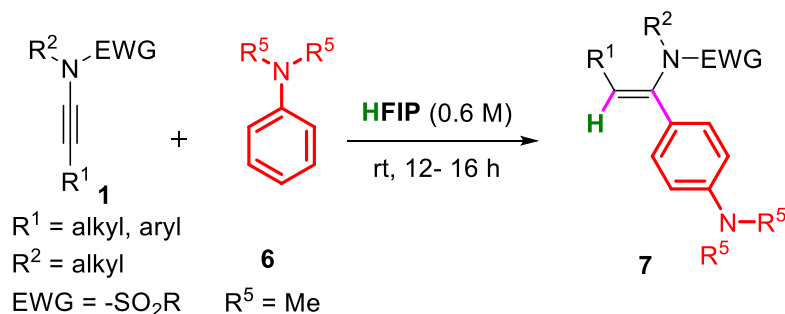
4. General experiment procedure for the synthesis of ketene *N,N*-acetals (5aa-5ab).



Representative experimental procedure for the synthesis of (*E*)-*N*-benzyl-*N*-(1-(methyl(phenyl)amino)-2-phenylvinyl)benzenesulfonamide (5aa): *N*-Benzyl-*N*-(phenylethynyl)benzenesulfonamide **1a** (0.104 g, 0.3 mmol, 1 equiv) and *N*-methylaniline **4a** (0.033g, 0.30 mmol, 1.0 equiv) were taken in a round-bottom flask, and then dry HFIP (0.5 mL, 0.6 M) was added to it. The reaction mixture was stirred at 25 °C. The progress of the reaction was monitored by TLC. The reaction was found to be completed in 12 h. After the completion of the reaction, the solvent was evaporated under reduced pressure. The crude product was purified by washing with cold ethanol (2 mL) to afford pure (*E*)-*N*-benzyl-*N*-(1-(methyl(phenyl)amino)-2-phenylvinyl)benzenesulfonamide (**5aa**) (0.114 g, 0.25 mmol) as a white solid in 84% yield. Two additional products, **5ba** and **5ha**, were obtained as pure products

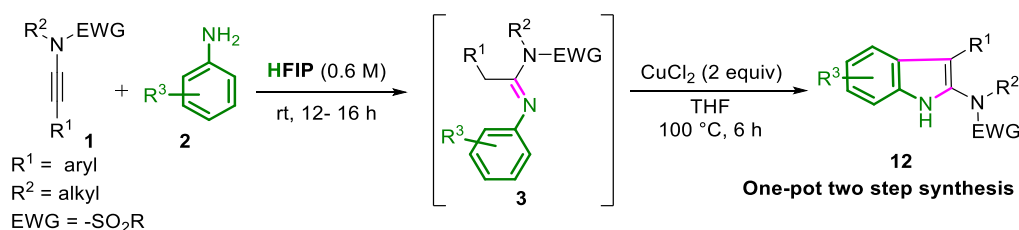
by simple cold ethanol wash; however, the remaining two products were obtained pure by column chromatography.

5. General experiment procedure for the synthesis of α -aryl enamides (7aa-7ja)



Representative experimental procedure for the synthesis of (Z)-N-benzyl-N-(1-(4-(dimethylamino)phenyl)-2-phenylvinyl)benzenesulfonamide (7aa): *N*-Benzyl-*N*-(phenylethynyl)benzenesulfonamide **1a** (0.104 g, 0.3 mmol, 1 equiv) and *N,N*-dimethylaniline **6a** (0.037g, 0.30 mmol, 1.0 equiv) were taken in a round bottom flask and then dry HFIP (0.5 mL, 0.6 M) was added to it. The reaction mixture was stirred at room temperature (25 °C). The progress of the reaction was monitored by TLC, and the reaction was found to be completed in 12 h. After the completion of the reaction, the solvent was evaporated under reduced pressure. The crude product was purified by flash chromatography using 100-200 mesh silica and 0-5% ethyl acetate in hexane as an eluent to afford pure (Z)-*N*-benzyl-*N*-(1-(4-(dimethylamino)phenyl)-2-phenylvinyl)benzenesulfonamide (**7aa**) (0.112 g, 0.24 mmol) as a white solid in 79% yield.

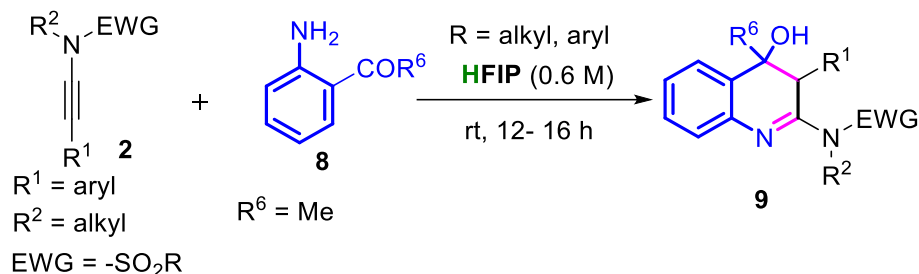
6. General experiment procedure for the synthesis of 2-amino indole (12aa-12aj).



Representative experimental procedure for the synthesis of *N*-benzyl-*N*-(3-phenyl-1*H*-indol-2-yl)benzenesulfonamide (13): An oven-dried sealed tube was charged with aniline **2a** (28 mg, 0.30 mmol) and *N*-benzyl-*N*-(phenylethynyl)benzenesulfonamide **1a** (0.104 g, 0.3 mmol, 1 equivalent). Dry HFIP (0.5 mL, 0.6 M) was then added to the mixture. The reaction vessel was sealed and stirred at room temperature (25 °C) for 12 hours. The progress of the reaction was monitored by TLC. After the completion of the reaction, HFIP was removed by purging nitrogen gas into the reaction mixture. Then the crude product was dissolved in dry THF (2 mL), and anhydrous CuCl₂ (0.081 g, 0.6 mmol) was added to it. The reaction mixture was then heated to 100 °C. The reaction progress was monitored by TLC and found to be completed in 6 h. The reaction was then allowed to cool down to room temperature. Then the solvent was evaporated under reduced pressure to yield a crude product, which was extracted in a separating funnel using ethyl acetate (2 × 40 mL) and water (2 × 20 mL). The combined organic

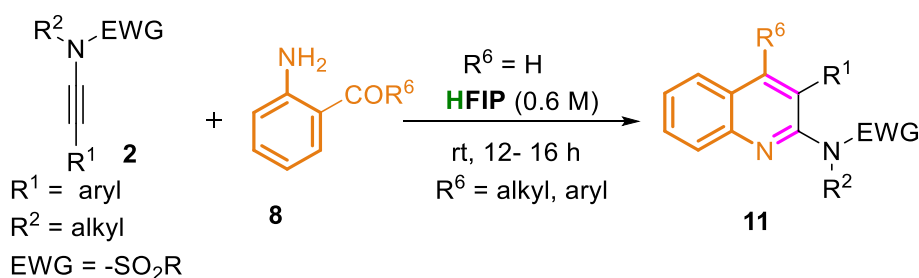
layers were dried over sodium sulfate and evaporated. The crude product was purified by column chromatography using 100-200 mesh silica gel and 20% ethyl acetate in hexane as eluent, to afford pure *N*-benzyl-*N*-(3-phenyl-1*H*-indol-2-yl)benzenesulfonamide (0.100 g, 0.228 mmol) in 76% yield.

7. General experiment procedure for the synthesis of 3,4-dihydroquinolines (9aa-9ka).



Representative experimental procedure for the synthesis of *N*-benzyl-*N*-(4-hydroxy-4-methyl-3-phenyl-3,4-dihydroquinolin-2-yl)benzenesulfonamide (9aa): *N*-Benzyl-*N*-(phenylethynyl)benzenesulfonamide **1a** (0.104 g, 0.3 mmol, 1 equiv) and 1-(2-aminophenyl)ethan-1-one **8a** (0.041g, 0.30 mmol, 1.0 equiv) were taken in a round-bottom flask and then dry HFIP (0.5 mL, 0.6 M) was added to it. The reaction mixture was stirred at room temperature (25 °C). The progress of the reaction was monitored by TLC. After the completion of the reaction, the solvent was evaporated under reduced pressure. The crude reaction mixture was purified by column chromatography using 100-200 mesh silica gel and 15% ethyl acetate in hexane used as an eluent to furnish pure *N*-benzyl-*N*-(4-hydroxy-4-methyl-3-phenyl-3,4-dihydroquinolin-2-yl)benzenesulfonamide (**9aa**) (0.133 g, 0.275 mmol) as a colourless gummy oil in 92% yield.

8. General experiment procedure for the synthesis of 2-aminoquinoline (11ab-11ac).



Representative experimental procedure for the synthesis of *N*-benzyl-*N*-(3-phenylquinolin-2-yl)benzenesulfonamide (11aa): *N*-Benzyl-*N*-(phenylethynyl)benzenesulfonamide **1a** (0.104 g, 0.3 mmol, 1 equiv) and 2-aminobenzaldehyde **8a** (0.037g, 0.30 mmol, 1.0 equiv) were taken in a round-bottom flask, and then dry HFIP (0.5 mL, 0.6 M) was added to it. The reaction mixture was stirred at room temperature (25 °C). The progress of the reaction was monitored by TLC, and the reaction was found to be completed in 12 h. The solvent was evaporated under reduced pressure. The crude product was purified by column chromatography using 100-200 mesh silica gel and 10% ethyl acetate in hexane as an eluent to afford pure *N*-benzyl-*N*-(3-phenylquinolin-2-

yl)benzenesulfonamide (**11ab**) (0.126 g, 0.278 mmol) as a white solid in 93% yield. For the synthesis of **11a1**, **11da**, **11ha**, **11ka**, and **11ac**, the reaction mixture was heated at 80 °C for 5 h after the completion of the first step of acetimidamide formation.

9. Crystal structures of **3ea**, **5aa**, **7ja**, **11aa** and **12aj**.

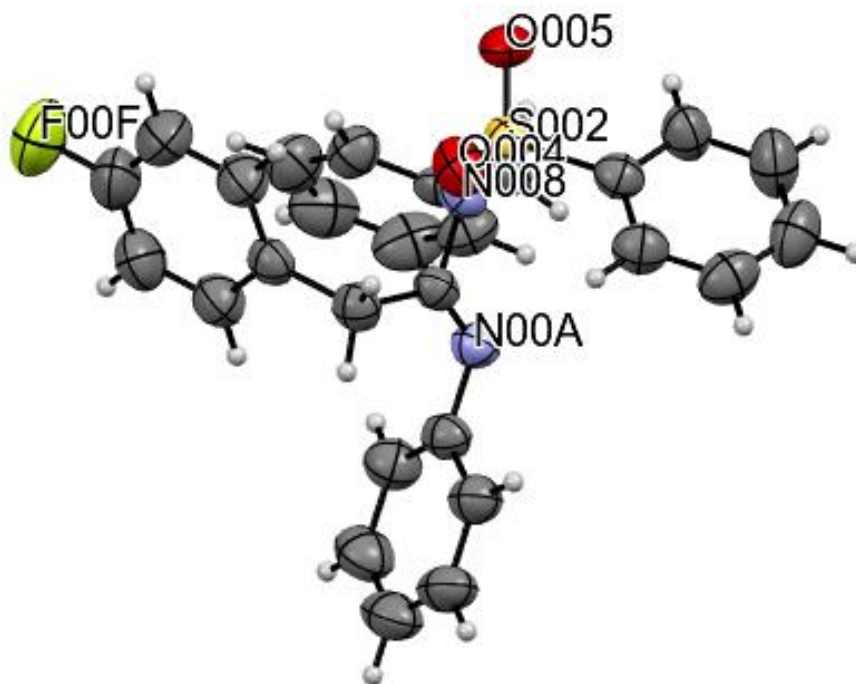


Figure S1. X-ray crystal structure of **3ea** (thermal ellipsoid shown at 50% probability) including hetero-atom numbering.

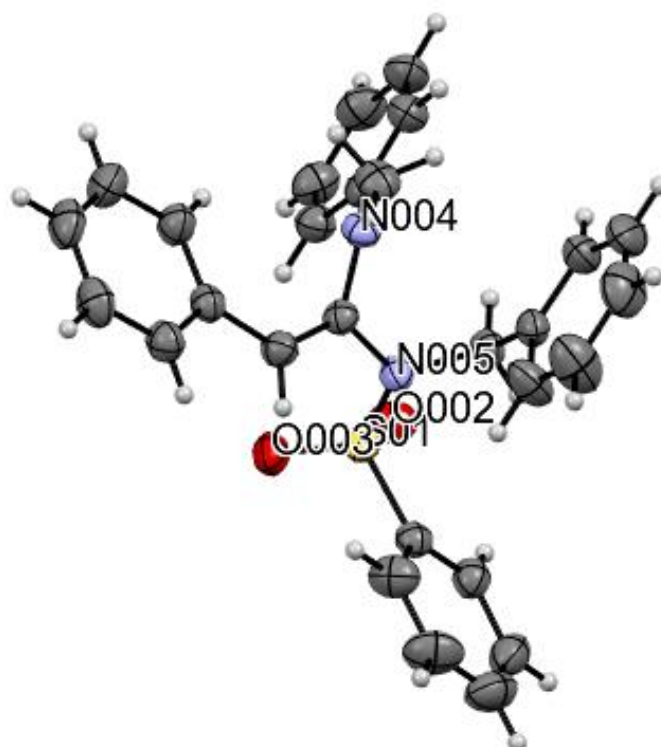


Figure S2. X-ray crystal structure of **5aa** (thermal ellipsoid shown at 50% probability) including hetero-atom numbering.

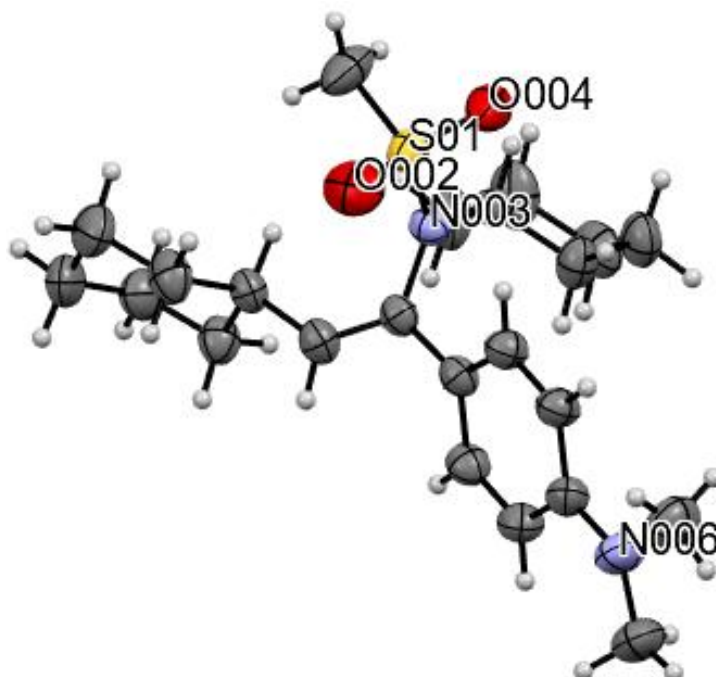


Figure S3. X-ray crystal structure of **7ja** (thermal ellipsoid shown at 50% probability) including hetero-atom numbering.

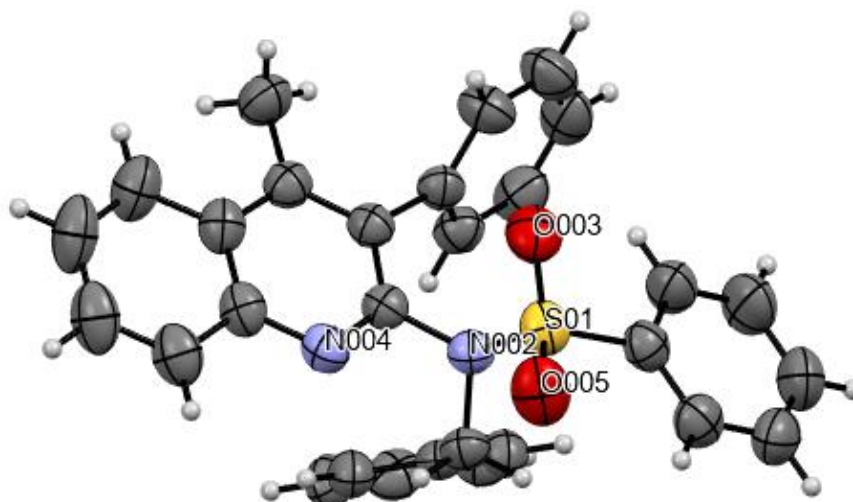


Figure S4. X-ray crystal structure of **11aa** (thermal ellipsoid shown at 50% probability) including hetero-atom numbering.

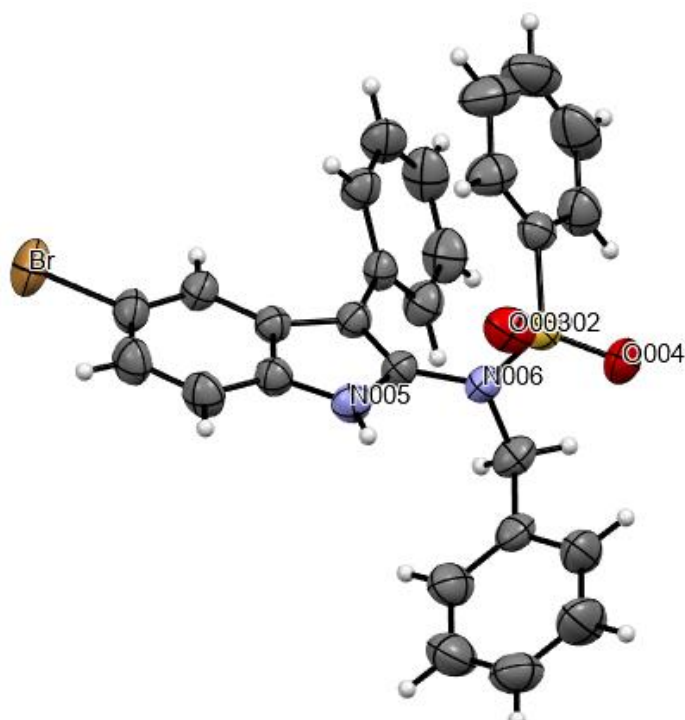


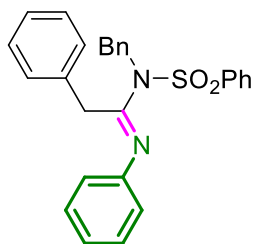
Figure S5. X-ray crystal structure of **12aj** (thermal ellipsoid shown at 50% probability) including hetero-atom numbering

10. Table-S1 Selected crystal data of 3ea, 5aa, 7ja, 11aa and 12aj.

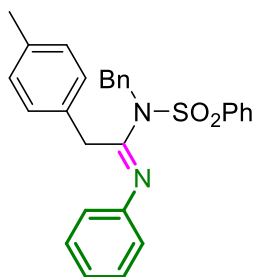
<i>Empirical formula</i>	C ₂₇ H ₂₃ FN ₂ O ₂ S	C ₂₈ H ₂₆ N ₂ O ₂ S	C ₂₃ H ₃₆ N ₂ O ₂ S	C ₂₉ H ₂₄ N ₂ O ₂ S	C ₂₇ H ₂₁ BrN ₂ O ₂ S
<i>Formula weight</i>	458.5514	454.57	404.60	464.56	517.43
<i>Temperature/K</i>	293	293	293	293	293
<i>Crystal system</i>	orthorhombic	monoclinic	tetragonal	monoclinic	monoclinic
<i>Space group</i>	P 21 21 21	-P 2ac 2ab	P 41	P 21/n	P 21/c
<i>a/Å</i>	8.2276(3)	15.8520(1)	10.66109(16)	9.4440(2)	10.6973(2)
<i>b/Å</i>	17.6666(7)	16.7047(2)	10.66109(16)	14.0026(3)	27.1866(4)
<i>c/Å</i>	32.3110(13)	17.3783(2)	20.1451(5)	17.9836(3)	8.6779(2)
<i>α (°)</i>	90	90	90	90	90
<i>β (°)</i>	90	90	90	91.366(2)	109.432(2)

$\chi(^{\circ})$	90	90	90	90	90
<i>Volume/Å³</i>	4696.5(3)	4601.83(8)	2289.67(9)	2377.49(8)	2379.98(8)
<i>Z</i>	8	8	4	4	4
<i>μ/mm-1</i>	1.510	1.470	1.400	1.438	3.389
<i>Dx [g cm-3]</i>	1.297	1.312	1.174	1.298	1.444
<i>F(000)</i>	1920.0	1920.0	880.0	976.0	1056.0
<i>2θ range for data collection ($^{\circ}$)</i>	4.8300-78.9290	5.8160-79.7260	4.147- 79.830	4.002- 79.814	4.383- 79.984
<i>Index ranges</i>	-10 $\leq h \leq 7$ -21 $\leq k \leq 21$, -39 $\leq l \leq 40$	-19 $\leq h \leq 19$ -19 $\leq k \leq 20$, -21 $\leq l \leq 31$	-11 $\leq h \leq 13$ -11 $\leq k \leq 13$, -24 $\leq l \leq 19$	-10 $\leq h \leq 11$ -17 $\leq k \leq 17$, -22 $\leq l \leq 22$	-13 $\leq h \leq 13$ -33 $\leq k \leq 24$, -7 $\leq l \leq 10$
<i>Reflections measured</i>	6323	8489	4485	6932	7234
<i>Unique reflections</i>	9174	4903	4087	5025	5044
<i>Parameters /restraints</i>	595/0	299/0	256/1	308/0	298/0
<i>Goodness-of-fit on F²</i>	1.047	1.062	1.079	1.090	1.060
<i>R1 [$I \geq 2\sigma(I)$]</i>	0.0449	0.0384	0.0470	0.0474	0.0443
<i>wR2 (all data)</i>	0.1008	0.0990	0.1137	0.1241	0.1061
<i>Largest diff. peak/hole/e Å-3</i>	0.118/-0.265	0.191/-0.358	0.150/-0.461	0.145/-0.405	0.751/-0.745
<i>CCDC</i>	2420474	2420911	2420913	2448538	2448537

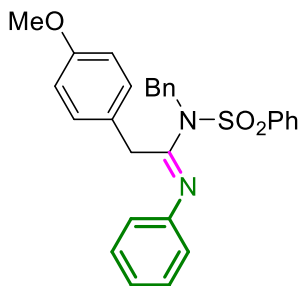
11. Analytical data of synthesized compounds.



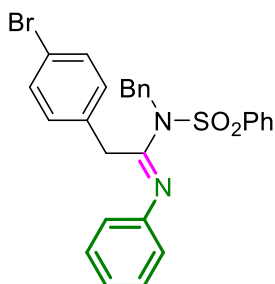
(*E*)-*N*-Benzyl-*N'*,2-diphenyl-*N*-(phenylsulfonyl)acetimidamide (3aa): white solid (0.126 g, 95%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.90 (d, J = 7.5 Hz, 2H), 7.77 (t, J = 7.4 Hz, 1H), 7.66 (t, J = 7.6 Hz, 2H), 7.30 – 7.19 (m, 5H), 7.20 – 7.05 (m, 5H), 7.01 (t, J = 7.4 Hz, 1H), 6.74 (d, J = 7.1 Hz, 2H), 6.41 (d, J = 7.6 Hz, 2H), 4.73 (s, 2H), 3.82 (s, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.30, 147.98, 138.33, 136.62, 134.86, 134.20, 129.70, 129.56, 128.92, 128.81, 128.55, 128.50, 128.31, 127.74, 127.02, 123.96, 119.71, 50.83, 36.76; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{25}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 441.1637; found: 441.1638.



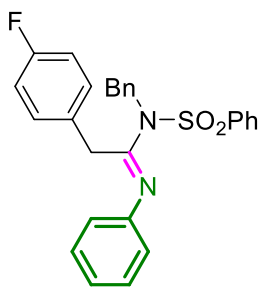
(*E*)-*N*-Benzyl-*N'*-phenyl-*N*-(phenylsulfonyl)-2-(*p*-tolyl)acetimidamide (3ba): white solid (0.122 g, 89%); ^1H NMR (400 MHz, DMSO) δ 7.89 (d, J = 7.5 Hz, 2H), 7.77 (t, J = 7.4 Hz, 1H), 7.66 (t, J = 7.6 Hz, 2H), 7.24 (t, J = 6.9 Hz, 5H), 7.08 (d, J = 6.4 Hz, 2H), 7.00 (t, J = 7.4 Hz, 1H), 6.94 (d, J = 7.7 Hz, 2H), 6.63 (d, J = 7.7 Hz, 2H), 6.40 (d, J = 7.6 Hz, 2H), 4.73 (s, 2H), 3.74 (s, 2H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.42, 148.02, 138.43, 136.71, 136.17, 134.16, 131.73, 129.65, 129.55, 129.41, 128.75, 128.56, 128.39, 128.35, 127.71, 123.93, 119.74, 50.74, 36.26, 21.07; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 455.1793; found: 455.1784.



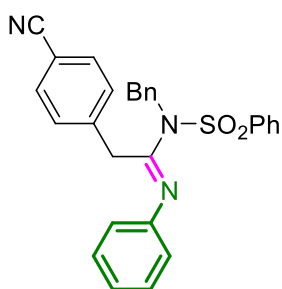
(*E*)-*N*-Benzyl-2-(4-methoxyphenyl)-*N'*-phenyl-*N*-(phenylsulfonyl)acetimidamide (3ca): off-white solid (0.120 g, 85%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.89 (d, J = 7.5 Hz, 2H), 7.77 (t, J = 7.2 Hz, 1H), 7.67 (t, J = 7.5 Hz, 2H), 7.25 (dd, J = 11.5, 7.4 Hz, 5H), 7.13 – 6.95 (m, 3H), 6.69–6.64 (m, 4H), 6.40 (d, J = 7.5 Hz, 2H), 4.71 (s, 2H), 3.72 (s, 5H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 158.47, 155.63, 148.03, 138.36, 136.66, 134.18, 129.99, 129.69, 129.57, 128.54, 128.42, 128.32, 127.72, 126.53, 123.95, 119.74, 114.28, 55.50, 50.79, 35.89; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 471.1742; found: 471.1732.



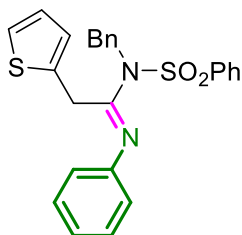
(*E*)-*N*-Benzyl-2-(4-bromophenyl)-*N'*-phenyl-*N*-(phenylsulfonyl)acetimidamide (3da): white solid (0.143 g, 91%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.97 – 7.87 (m, 2H), 7.77 (t, J = 7.4 Hz, 1H), 7.68 (t, J = 7.6 Hz, 2H), 7.24 (m, 7H), 7.04 (dd, J = 14.7, 7.2 Hz, 3H), 6.65 (d, J = 8.3 Hz, 2H), 6.43 (d, J = 7.5 Hz, 2H), 4.69 (s, 2H), 3.80 (s, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.18, 147.83, 138.04, 136.30, 134.27, 131.60, 131.16, 129.82, 129.64, 128.79, 128.49, 128.21, 127.78, 124.15, 120.27, 119.62, 51.04, 36.64; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{24}\text{BrN}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 519.0742; found: 519.0736.



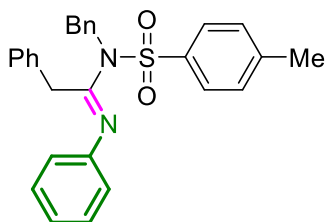
(*E*)-*N*-Benzyl-2-(4-fluorophenyl)-*N'*-phenyl-*N*-(phenylsulfonyl)acetimidamide (3ea): white solid (0.122 g, 89%); ^1H NMR (400 MHz, DMSO-d_6) δ 7.93 (d, $J = 7.6$ Hz, 2H), 7.77 (t, $J = 7.4$ Hz, 1H), 7.68 (t, $J = 7.6$ Hz, 2H), 7.30 – 7.18 (m, 5H), 7.04 (dd, $J = 19.7, 7.1$ Hz, 3H), 6.92 (t, $J = 8.7$ Hz, 2H), 6.80 – 6.67 (m, 2H), 6.42 (d, $J = 7.6$ Hz, 2H), 4.70 (s, 2H), 3.82 (s, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 161.44 (d, $J = 242.7$ Hz), 155.46, 147.89, 138.10, 136.38, 134.26, 130.88, 130.80, 129.80, 129.61, 128.73, 128.48, 128.23, 127.79, 124.09, 119.64, 115.60, 115.39, 51.01, 36.31; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{24}\text{FN}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 459.1543; found: 459.1543.



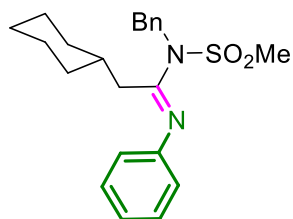
(*E*)-*N*-Benzyl-2-(4-cyanophenyl)-*N'*-phenyl-*N*-(phenylsulfonyl)acetimidamide (3fa): white solid (0.131 g, 93%); ^1H NMR (400 MHz, DMSO-d_6) δ 7.95 (d, $J = 7.5$ Hz, 2H), 7.77 (t, $J = 7.4$ Hz, 1H), 7.68 (t, $J = 7.6$ Hz, 2H), 7.53 (d, $J = 8.1$ Hz, 2H), 7.28 – 7.21 (m, 5H), 7.04 (dd, $J = 14.9, 7.3$ Hz, 3H), 6.84 (d, $J = 8.1$ Hz, 2H), 6.44 (d, $J = 7.6$ Hz, 2H), 4.70 (s, 2H), 3.93 (s, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 154.75, 147.71, 140.70, 137.91, 136.16, 134.37, 132.56, 130.02, 129.91, 129.69, 128.98, 128.52, 128.19, 127.89, 124.29, 119.59, 119.18, 109.87, 51.18, 37.54; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{24}\text{N}_3\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 466.1589; found: 466.1600.



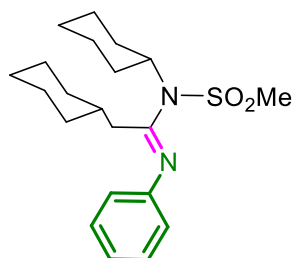
(*E*)-*N*-Benzyl-*N'*-phenyl-*N*-(phenylsulfonyl)-2-(thiophen-2-yl)acetimidamide (3ga): light yellow solid (0.116 g, 86%); ^1H NMR (400 MHz, DMSO-d_6) δ 7.93 (d, $J = 7.5$ Hz, 2H), 7.78 (t, $J = 7.4$ Hz, 1H), 7.68 (t, $J = 7.6$ Hz, 2H), 7.34 – 7.17 (m, 6H), 7.03 (dd, $J = 12.6, 5.4$ Hz, 3H), 6.84 (dd, $J = 5.0, 3.5$ Hz, 1H), 6.47 (d, $J = 2.6$ Hz, 1H), 6.38 (d, $J = 7.6$ Hz, 2H), 4.73 (s, 2H), 4.01 (s, 2H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 154.52, 147.73, 138.06, 136.54, 135.85, 134.27, 129.83, 129.57, 128.51, 128.32, 128.20, 127.68, 127.32, 127.22, 125.78, 124.09, 119.61, 51.07, 31.57, 26.50; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{23}\text{N}_2\text{O}_2\text{S}_2$ $[\text{M}+\text{H}]^+$: 447.1201; found: 447.1233.



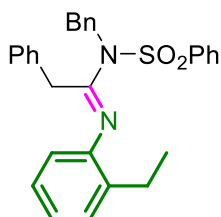
(*E*)-*N*-Benzyl-*N'*,2-diphenyl-*N*-tosylacetimidamide (3ha): white solid (0.131 g, 96%); ^1H NMR (400 MHz, DMSO-d_6) δ 7.78 (d, $J = 8.2$ Hz, 2H), 7.46 (d, $J = 8.1$ Hz, 2H), 7.28 – 7.19 (m, 5H), 7.18 – 7.12 (m, 3H), 7.08 – 6.98 (m, 3H), 6.73 (d, $J = 7.1$ Hz, 2H), 6.43 (d, $J = 7.6$ Hz, 2H), 4.69 (s, 2H), 3.83 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 155.41, 148.06, 144.77, 136.59, 135.36, 134.96, 130.15, 129.55, 128.97, 128.76, 128.60, 128.49, 128.31, 127.69, 126.95, 123.94, 119.72, 50.88, 36.93, 21.55; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 455.1793; found: 455.1790.



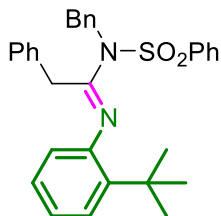
(E)-N-Benzyl-2-cyclohexyl-N-(methylsulfonyl)-N'-phenyl acetimidamide (3ia): brown solid (0.106 g, 79%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.44 – 7.37 (m, 4H), 7.35 – 7.27 (m, 3H), 7.03 (t, J = 7.4 Hz, 1H), 6.63 – 6.57 (m, 2H), 4.88 (s, 2H), 3.23 (s, 3H), 2.28 (d, J = 6.9 Hz, 2H), 1.41 (dd, J = 21.4, 11.4 Hz, 4H), 1.19 (d, J = 10.6 Hz, 2H), 1.03 – 0.80 (m, 3H), 0.47 – 0.32 (m, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 158.32, 148.53, 137.51, 129.34, 129.20, 128.73, 127.99, 123.69, 120.12, 50.56, 40.80, 38.01, 35.76, 32.51, 26.07, 25.90; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{31}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 447.2106; found: 447.2145.



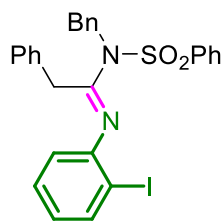
(E)-N,2-Dicyclohexyl-N-(methylsulfonyl)-N'-phenyl acetimidamide (3ja): yellow gummy oil (0.095 g, 84%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.32 (dd, J = 8.1, 7.6 Hz, 2H), 7.10 – 7.02 (m, 1H), 6.68 (d, J = 7.4 Hz, 2H), 3.75 (ddd, J = 12.1, 8.8, 3.5 Hz, 1H), 3.24 (s, 3H), 2.20 (d, J = 6.2 Hz, 2H), 1.98 (d, J = 10.0 Hz, 2H), 1.79 (d, J = 12.9 Hz, 2H), 1.70 (dd, J = 18.4, 10.3 Hz, 5H), 1.61 – 1.46 (m, 4H), 1.35 (q, J = 12.7 Hz, 2H), 1.16 – 1.05 (m, 3H), 1.01 – 0.91 (m, 1H), 0.62 (q, J = 11.8 Hz, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 160.23, 148.42, 129.34, 123.86, 119.75, 59.86, 42.24, 40.30, 35.77, 32.76, 32.57, 26.51, 26.08, 26.02, 25.22; HRMS (ESI) m/z calcd for $\text{C}_{21}\text{H}_{33}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 377.2263; found: 377.2346.



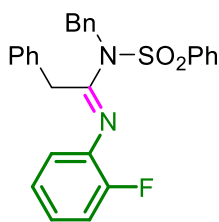
(E)-N-benzyl-N'-(2-ethylphenyl)-2-phenyl-N-(phenylsulfonyl) acetimidamide (3ab): white solid (0.126 g, 90%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.97 – 7.85 (m, 2H), 7.75 (dd, J = 10.6, 4.3 Hz, 1H), 7.64 (dd, J = 10.7, 4.8 Hz, 2H), 7.26 – 7.16 (m, 6H), 7.13 – 7.07 (m, 3H), 7.02 (td, J = 7.5, 1.5 Hz, 1H), 6.95 (td, J = 7.4, 1.3 Hz, 1H), 6.86 (dd, J = 7.3, 2.0 Hz, 2H), 6.24 – 6.13 (m, 1H), 4.86 (s, 2H), 3.70 (s, 2H), 1.97 (q, J = 7.5 Hz, 2H), 0.85 (t, J = 7.5 Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 154.91, 146.07, 139.15, 136.97, 135.05, 134.17, 133.67, 129.73, 129.03, 128.84, 128.65, 128.23, 127.98, 127.78, 127.16, 126.77, 124.35, 118.89, 50.68, 36.84, 24.03, 14.35; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{29}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 469.1950; found: 469.2093.



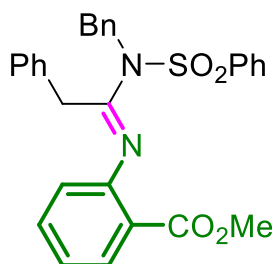
(E)-N-Benzyl-N'-(2-(tert-butyl)phenyl)-2-phenyl-N-(phenylsulfonyl) acetimidamide (3ac): colorless gummy oil (0.121 g, 81%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.88 (d, J = 7.5 Hz, 2H), 7.73 (t, J = 7.4 Hz, 1H), 7.60 (t, J = 7.7 Hz, 2H), 7.29 – 7.22 (m, 7H), 7.17 (d, J = 3.6 Hz, 2H), 6.99 – 6.89 (m, 4H), 5.95 – 5.79 (m, 1H), 4.87 (s, 2H), 3.60 (s, 2H), 1.10 (s, 9H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 153.37, 146.35, 139.66, 139.59, 137.08, 135.66, 134.15, 129.59, 128.94, 128.84, 128.77, 128.61, 128.30, 127.98, 127.19, 126.79, 126.74, 124.14, 119.71, 50.35, 37.38, 35.06, 29.85; HRMS (ESI) m/z calcd for $\text{C}_{31}\text{H}_{33}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 497.2263; found: 497.2275.



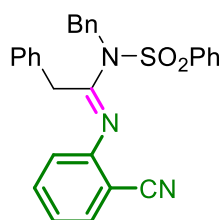
(E)-N-Benzyl-N'-(2-iodophenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3ad): brown solid (0.155 g, 91%); ¹H NMR (400 MHz, DMSO-d₆) δ 7.92 (d, *J* = 7.4 Hz, 2H), 7.81 – 7.71 (m, 2H), 7.63 (t, *J* = 7.7 Hz, 2H), 7.30 – 7.16 (m, 9H), 6.91 – 6.82 (m, 2H), 6.77 (td, *J* = 7.7, 1.4 Hz, 1H), 6.29 – 6.20 (m, 1H), 4.90 (s, 2H), 3.74 (s, 2H); ¹³C NMR (101 MHz, DMSO-d₆) δ 156.49, 149.42, 139.31, 139.11, 137.01, 134.70, 134.25, 129.77, 129.45, 128.87, 128.67, 128.50, 128.17, 127.75, 127.22, 125.71, 120.13, 91.07, 50.86, 37.32; HRMS (ESI) *m/z* calcd for C₂₇H₂₄IN₂O₂S [M+H]⁺: 567.0603; found: 567.0625.



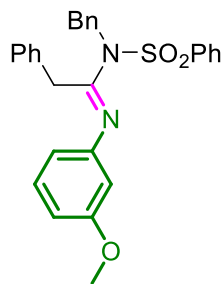
(E)-N-Benzyl-N'-(2-fluorophenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3ae): colorless gummy oil (0.124 g, 90%); ¹H NMR (400 MHz, DMSO-d₆) δ 7.87 (d, *J* = 7.4 Hz, 2H), 7.75 (t, *J* = 7.4 Hz, 1H), 7.63 (t, *J* = 7.7 Hz, 2H), 7.30 – 7.21 (m, 3H), 7.13 (p, *J* = 7.1 Hz, 6H), 7.07 – 6.98 (m, 2H), 6.73 (d, *J* = 7.1 Hz, 2H), 6.50 – 6.39 (m, 1H), 4.82 (s, 2H), 3.79 (s, 2H); ¹³C NMR (101 MHz, DMSO-d₆) merged peaks were present δ 157.86, 152.00 (d, *J* = 242.9 Hz), 138.60, 136.77, 135.62 (d, *J* = 12.6 Hz), 134.52, 134.34, 129.75, 128.90, 128.81, 128.69, 128.31, 128.28, 127.85, 127.22, 125.53 (d, *J* = 6.8 Hz), 125.27 (d, *J* = 2.5 Hz), 116.51 (d, *J* = 19.5 Hz), 50.85, 37.42; HRMS (ESI) *m/z* calcd for C₂₇H₂₄FN₂O₂S [M+H]⁺: 459.1543; found: 459.1585.



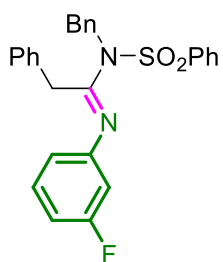
Methyl (E)-2-((1-(N-benzylphenylsulfonylamino)-2-phenylethylidene)amino)benzoate (3hq): brown gummy (0.144 g, 94%); ¹H NMR (400 MHz, DMSO-d₆) δ 7.89 (d, *J* = 7.4 Hz, 2H), 7.76 – 7.70 (m, 3H), 7.60 (t, *J* = 7.8 Hz, 2H), 7.43 (dd, *J* = 8.6, 2.4 Hz, 1H), 7.28 (dd, *J* = 6.8, 3.1 Hz, 3H), 7.22 – 7.15 (m, 5H), 6.85 – 6.81 (m, 2H), 6.18 (d, *J* = 8.6 Hz, 1H), 4.86 (s, 2H), 3.81 (s, 2H), 3.64 (s, 3H); ¹³C NMR (101 MHz, DMSO-d₆) δ 165.10, 155.55, 146.88, 139.25, 137.17, 134.89, 134.16, 133.25, 130.34, 129.60, 128.84, 128.60, 128.36, 128.07, 127.74, 127.50, 127.18, 123.13, 121.94, 52.64, 50.95, 37.91.



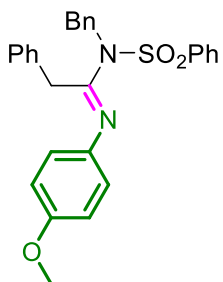
(E)-N-Benzyl-N'-(2-cyanophenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3af): white solid (0.122 g, 87%); ¹H NMR (400 MHz, DMSO-d₆) δ 7.91 (dd, *J* = 8.4, 1.1 Hz, 2H), 7.75 (dd, *J* = 10.6, 4.3 Hz, 1H), 7.68 (dd, *J* = 7.8, 1.2 Hz, 1H), 7.62 (t, *J* = 7.8 Hz, 2H), 7.49 (td, *J* = 8.1, 1.4 Hz, 1H), 7.32 – 7.21 (m, 5H), 7.21 – 7.10 (m, 4H), 6.85 – 6.75 (m, 2H), 6.40 (d, *J* = 7.8 Hz, 1H), 4.92 (s, 2H), 3.86 (s, 2H); ¹³C NMR (101 MHz, DMSO-d₆) δ 157.51, 150.62, 138.91, 136.91, 134.54, 134.42, 134.39, 133.60, 129.78, 128.97, 128.78, 128.66, 128.35, 127.93, 127.84, 127.33, 124.48, 120.70, 117.37, 103.45, 50.94, 37.10; HRMS (ESI) *m/z* calcd for C₂₈H₂₄N₃O₂S [M+H]⁺: 466.1589; found: 466.1575.



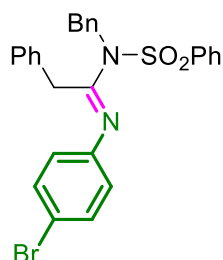
(E)-N-Benzyl-N'-(3-methoxyphenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3ag): colourless gummy oil (0.125 g, 88%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.90 (d, J = 7.9 Hz, 2H), 7.77 (t, J = 7.4 Hz, 1H), 7.67 (t, J = 7.6 Hz, 2H), 7.26 – 7.05 (m, 9H), 6.75 (d, J = 7.2 Hz, 2H), 6.58 (d, J = 8.2 Hz, 1H), 6.00 (d, J = 7.7 Hz, 1H), 5.85 (s, 1H), 4.73 (s, 2H), 3.82 (s, 2H), 3.63 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 160.23, 155.28, 149.26, 138.31, 136.65, 134.92, 134.22, 130.48, 129.70, 128.96, 128.81, 128.54, 128.36, 127.75, 127.04, 111.92, 109.43, 105.48, 55.39, 50.89, 36.87; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 471.1742; found: 471.1878.



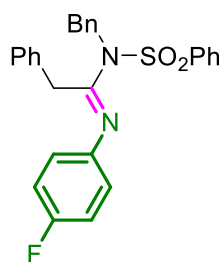
(E)-N-Benzyl-N'-(3-fluorophenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3ah): white solid (0.128 g, 93%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.90 (dd, J = 5.2, 3.3 Hz, 2H), 7.81 – 7.74 (m, 1H), 7.72 – 7.63 (m, 2H), 7.29 – 7.22 (m, 4H), 7.20 – 7.07 (m, 5H), 6.87 – 6.79 (m, 1H), 6.73 (d, J = 6.8 Hz, 2H), 6.26 – 6.16 (m, 2H), 4.75 (s, 2H), 3.84 (s, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 164.07, 162.85 (d, J = 244.4 Hz), 155.98, 149.80, 149.70, 138.39, 136.68, 134.73, 134.31, 131.29 (d, J = 9.6 Hz), 129.75, 128.86, 128.63, 128.41, 128.36, 127.81, 127.12, 116.02, 110.53 (d, J = 20.9 Hz), 107.00 (d, J = 22.9 Hz), 50.89, 36.88; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{24}\text{FN}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 459.1543; found: 459.1691.



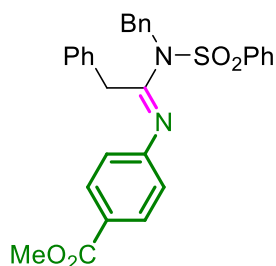
(E)-N-Benzyl-N'-(4-methoxyphenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3ai): brown gummy oil (0.126 g, 88%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.92 – 7.87 (m, 2H), 7.79 – 7.73 (m, 1H), 7.65 (t, J = 7.7 Hz, 2H), 7.23 – 7.12 (m, 6H), 7.05 (d, J = 6.4 Hz, 2H), 6.83 (d, J = 8.8 Hz, 2H), 6.73 (d, J = 7.0 Hz, 2H), 6.38 (d, J = 8.8 Hz, 2H), 4.71 (s, 2H), 3.85 (s, 2H), 3.67 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 156.16, 155.65, 141.11, 138.38, 136.67, 135.03, 134.14, 129.67, 128.92, 128.81, 128.53, 128.31, 127.70, 126.98, 120.88, 114.86, 55.62, 50.80, 36.62; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 471.1742; found: 471.1863.



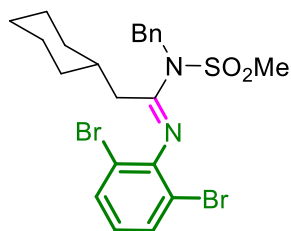
(E)-N-Benzyl-N'-(4-bromophenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3aj): white solid (0.15 g, 96%); ^1H NMR (400 MHz, CDCl_3) δ 7.90 – 7.81 (m, 2H), 7.68 – 7.63 (m, 1H), 7.54 (dd, J = 10.6, 4.8 Hz, 2H), 7.33 – 7.29 (m, 2H), 7.24 – 7.16 (m, 4H), 7.12 (t, J = 7.2 Hz, 2H), 7.05 (d, J = 7.0 Hz, 2H), 6.76 (d, J = 7.2 Hz, 2H), 6.27 (d, J = 8.6 Hz, 2H), 4.73 (s, 2H), 3.82 (s, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 155.72, 146.90, 138.51, 135.84, 134.31, 133.32, 131.99, 131.38, 129.30, 129.13, 128.84, 128.54, 128.45, 128.20, 128.18, 127.93, 127.42, 126.72, 122.20, 121.30, 116.46, 50.86, 37.29; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{24}\text{BrN}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 471.1742; found: 471.1711.



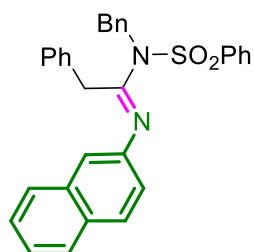
(E)-N-Benzyl-N'-(4-fluorophenyl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3ak): white solid (0.126 mg, 91%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.90 (dd, J = 8.3, 1.1 Hz, 2H), 7.78–7.74 (m, 1H), 7.68–7.64 (m, 2H), 7.28–7.04 (m, 10H), 6.72 (d, J = 6.9 Hz, 2H), 6.41 (dd, J = 8.8, 4.9 Hz, 2H), 4.74 (s, 2H), 3.84 (s, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 159.13 (d, J = 239.5 Hz), 156.14, 144.32, 138.38, 136.66, 134.83, 134.23, 129.71, 128.85, 128.83, 128.58, 128.46, 128.31, 127.76, 127.05, 121.34 (d, J = 8.0 Hz), 116.23 (d, J = 22.5 Hz), 50.85, 36.71; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{24}\text{FN}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 459.1543; found: 459.1667.



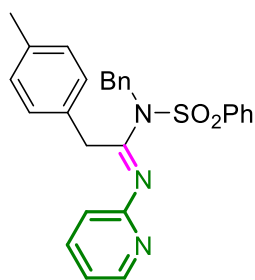
Methyl (E)-4-((1-(N-benzylphenylsulfonylamido)-2-phenylethylidene)amino)benzoate (3al): yellow gummy oil (0.128 g, 86%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.92–7.88 (m, 2H), 7.82–7.75 (m, 3H), 7.66 (t, J = 7.7 Hz, 2H), 7.28–7.25 (m, 3H), 7.17–7.11 (m, 5H), 6.74 (d, J = 6.8 Hz, 2H), 6.51–6.48 (m, 2H), 4.80 (s, 2H), 3.81 (s, 2H), 3.78 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 166.26, 155.40, 152.25, 138.49, 136.71, 134.60, 134.34, 130.91, 129.74, 128.88, 128.80, 128.68, 128.35, 128.28, 127.84, 127.16, 125.10, 120.02, 52.37, 50.85, 36.99; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{27}\text{N}_2\text{O}_4\text{S}$ $[\text{M}+\text{H}]^+$: 499.1692; found: 499.1708.



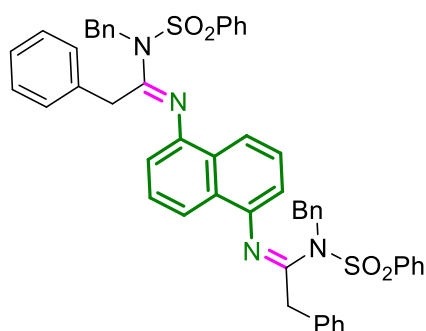
(E)-N-Benzyl-2-cyclohexyl-N'-(2,6-dibromophenyl)-N-(methylsulfonyl)acetimidamide (3im): yellow gummy oil (0.143 g, 88%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.65 (d, J = 8.0 Hz, 2H), 7.46 (d, J = 7.4 Hz, 2H), 7.37 (t, J = 7.5 Hz, 2H), 7.28 (t, J = 7.2 Hz, 1H), 6.93 (t, J = 8.0 Hz, 1H), 5.09 (s, 2H), 3.38 (s, 3H), 2.29 (d, J = 6.8 Hz, 2H), 1.66–1.41 (m, 6H), 1.09–0.90 (m, 3H), 0.73–0.56 (m, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 162.14, 145.06, 138.00, 132.85, 128.75, 128.00, 127.78, 126.40, 115.55, 50.88, 41.94, 36.16, 32.85, 26.02, 25.80; HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{27}\text{Br}_2\text{N}_2\text{O}_2\text{S}$ $[\text{M}+2]^+$: 543.0160; found: 543.0152.



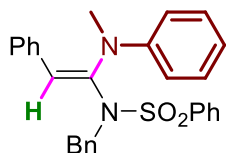
(E)-N-Benzyl-N'-(naphthalen-2-yl)-2-phenyl-N-(phenylsulfonyl)acetimidamide (3an): off-white solid (0.135 g, 92%); ^1H NMR (400 MHz, DMSO- d_6) δ 8.01–7.93 (m, 2H), 7.85–7.77 (m, 2H), 7.67 (t, J = 7.8 Hz, 2H), 7.57 (d, J = 8.3 Hz, 1H), 7.49–7.43 (m, 1H), 7.35–7.23 (m, 5H), 7.19–7.11 (m, 5H), 6.98 (d, J = 8.4 Hz, 1H), 6.86–6.79 (m, 2H), 6.44 (d, J = 7.2 Hz, 1H), 4.96 (s, 2H), 3.74 (s, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 156.01, 144.23, 139.16, 137.05, 134.93, 134.26, 134.07, 129.85, 128.98, 128.84, 128.81, 128.34, 128.20, 128.00, 127.89, 127.14, 126.79, 126.30, 126.23, 125.98, 124.02, 123.56, 114.20, 50.91, 36.79; HRMS (ESI) m/z calcd for $\text{C}_{31}\text{H}_{27}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 491.1793; found: 491.2006.



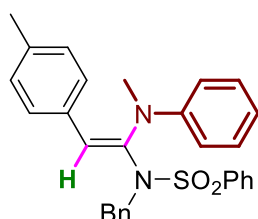
(E)-N-Benzyl-N-(phenylsulfonyl)-N'-(pyridin-2-yl)-2-(p-tolyl)acetimidamide (3bo): brown gummy oil (0.103 g, 75%); ^1H NMR (400 MHz, CDCl_3) δ 8.24 (ddd, $J = 5.0, 1.9, 0.8$ Hz, 1H), 7.81 – 7.77 (m, 2H), 7.55 – 7.50 (m, 1H), 7.45 – 7.37 (m, 3H), 7.17 – 7.14 (m, 3H), 7.12 (dd, $J = 4.5, 2.5$ Hz, 2H), 6.86 – 6.81 (m, 3H), 6.65 (d, $J = 8.0$ Hz, 2H), 6.24 (d, $J = 8.0$ Hz, 1H), 4.77 (s, 2H), 3.83 (s, 2H), 2.21 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 159.88, 157.15, 148.63, 139.17, 137.51, 136.40, 136.18, 133.14, 131.45, 129.11, 128.76, 128.58, 128.27, 128.19, 128.07, 127.31, 119.00, 116.00, 50.63, 36.94, 20.98; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{26}\text{N}_3\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 456.1746; found: 456.2163.



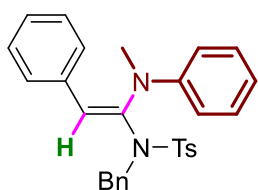
(1E,1'Z)-N',N'''-(Naphthalene-1,5-diyl)bis(N-benzyl-2-phenyl-N-(phenylsulfonyl)acetimidamide) (3ap): off-white solid (0.24 g, 94%); ^1H NMR (400 MHz, DMSO-d_6 and CDCl_3) δ 7.91 (d, $J = 7.3$ Hz, 4H), 7.72 (d, $J = 7.2$ Hz, 2H), 7.61 (t, $J = 7.3$ Hz, 3H), 7.31 – 6.96 (m, 19H), 6.79 (dd, $J = 26.0, 6.0$ Hz, 4H), 6.63 (d, $J = 8.3$ Hz, 2H), 6.30 (d, $J = 6.9$ Hz, 2H), 4.89 (s, 4H), 3.67 (s, 4H); ^{13}C NMR (101 MHz, DMSO-d_6 and CDCl_3) δ 160.64, 148.88, 143.81, 141.55, 139.51, 138.71, 134.32, 133.70, 133.40, 133.33, 132.97, 132.69, 132.45, 131.64, 131.41, 130.26, 124.06, 119.20, 55.63, 41.83; HRMS (ESI) m/z calcd for $\text{C}_{52}\text{H}_{45}\text{N}_4\text{O}_4\text{S}_2$ $[\text{M}+\text{H}_2\text{O}]^+$: 870.2910; found: 870.2885.



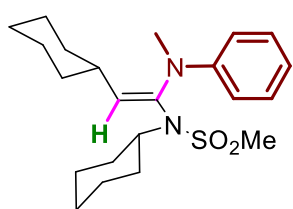
(E)-N-Benzyl-N-(1-(methyl(phenyl)amino)-2-phenylvinyl)benzenesulfonamide (5aa): white crystalline solid (0.114 g, 84%); ^1H NMR (400 MHz, DMSO-d_6) δ 7.85 (d, $J = 7.5$ Hz, 2H), 7.72 (t, $J = 7.4$ Hz, 1H), 7.61 (t, $J = 7.7$ Hz, 2H), 7.34 – 7.23 (m, 3H), 7.23 – 7.16 (m, 2H), 7.10 (t, $J = 7.3$ Hz, 2H), 7.07 – 6.92 (m, 5H), 6.67 (t, $J = 7.2$ Hz, 1H), 6.61 (d, $J = 8.0$ Hz, 2H), 6.01 (s, 1H), 4.60 (s, 2H), 2.57 (s, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 145.10, 139.71, 137.67, 136.92, 134.92, 133.88, 129.83, 128.94, 128.72, 128.61, 128.54, 127.97, 127.82, 127.60, 127.20, 119.85, 116.74, 115.92, 51.75, 36.87; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 455.1793; found: 455.1957.



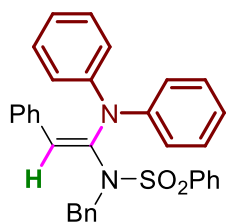
(E)-N-Benzyl-N-(1-(methyl(phenyl)amino)-2-(p-tolyl)vinyl)benzenesulfonamide (5ba): white solid (0.127 g, 90%); ^1H NMR (400 MHz, DMSO-d_6) δ 7.91 – 7.78 (m, 2H), 7.74 – 7.70 (m, 1H), 7.59 (t, $J = 7.7$ Hz, 2H), 7.30 – 7.25 (m, 3H), 7.18 (dd, $J = 7.4, 1.8$ Hz, 2H), 6.98 (dd, $J = 8.5, 7.4$ Hz, 2H), 6.92 (d, $J = 8.1$ Hz, 2H), 6.86 (d, $J = 8.2$ Hz, 2H), 6.67 (t, $J = 7.3$ Hz, 1H), 6.60 (d, $J = 7.9$ Hz, 2H), 5.99 (s, 1H), 4.58 (s, 2H), 2.57 (s, 3H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, DMSO-d_6) δ 145.14, 139.77, 136.98, 136.74, 136.61, 133.84, 131.97, 129.80, 129.27, 128.97, 128.70, 128.52, 127.94, 127.81, 127.63, 119.68, 117.24, 115.65, 51.75, 36.73, 21.18; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{29}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 469.1950; found: 469.1973.



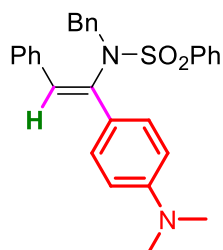
(E)-N-Benzyl-4-methyl-N-(1-(methyl(phenyl)amino)-2-phenylvinyl)benzenesulfonamide (5ha): off-white solid (0.131 g, 93%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.74 (d, J = 8.3 Hz, 2H), 7.41 (d, J = 8.1 Hz, 2H), 7.32 – 7.25 (m, 3H), 7.23 – 7.19 (m, 2H), 7.11 (t, J = 7.3 Hz, 2H), 7.06 – 6.95 (m, 5H), 6.68 (t, J = 7.3 Hz, 1H), 6.61 (d, J = 7.9 Hz, 2H), 6.03 (s, 1H), 4.57 (s, 2H), 2.59 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 145.13, 144.31, 137.74, 137.00, 136.91, 134.98, 130.22, 128.92, 128.70, 128.61, 128.52, 127.93, 127.88, 127.58, 127.14, 119.80, 116.55, 115.90, 51.64, 36.90, 21.53; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{29}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 469.1950; found: 469.1962.



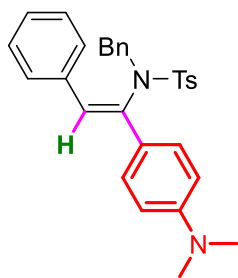
(E)-N-Cyclohexyl-N-(2-cyclohexyl-1-(methyl(phenyl)amino)vinyl)methanesulfonamide (5ja): colourless gummy oil (0.097 g, 83%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.22 (dd, J = 8.7, 7.3 Hz, 2H), 6.90 (d, J = 7.9 Hz, 2H), 6.74 (t, J = 7.3 Hz, 1H), 5.01 (d, J = 9.2 Hz, 1H), 3.61 – 3.47 (m, 1H), 3.05 (s, 3H), 2.98 (s, 3H), 1.85 – 1.41 (m, 14H), 1.26 – 0.98 (m, 7H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 146.44, 134.47, 129.22, 128.13, 118.51, 114.74, 59.34, 41.47, 36.74, 36.45, 32.71, 31.98, 30.94, 26.54, 26.29, 26.18, 25.98, 25.72, 25.52, 25.49; HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{35}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 391.2419; found: 391.2498.



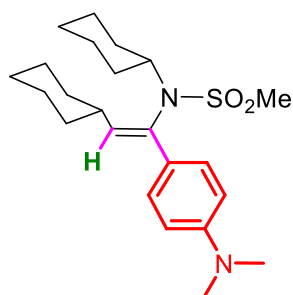
(E)-N-Benzyl-N-(1-(diphenylamino)-2-phenylvinyl)benzenesulfonamide (5ab): off-white solid (0.137 g, 88%); ^1H NMR (400 MHz, CDCl_3) δ 7.59 – 7.51 (m, 2H), 7.46 – 7.42 (m, 1H), 7.32 – 7.26 (m, 2H), 7.20 – 7.16 (m, 3H), 7.15 – 7.13 (m, 2H), 6.96 (dd, J = 7.7, 1.6 Hz, 2H), 6.94 – 6.82 (m, 7H), 6.80 – 6.74 (m, 2H), 6.73 – 6.67 (m, 4H), 6.12 (s, 1H), 4.48 (s, 2H); ^{13}C NMR (101 MHz, CDCl_3) merged peaks were present δ 143.95, 139.80, 136.71, 136.00, 134.11, 132.71, 129.09, 128.69, 128.60, 128.47, 128.26, 128.05, 127.54, 127.48, 127.35, 126.53, 123.14, 122.99, 117.15, 51.49; HRMS (ESI) m/z calcd for $\text{C}_{33}\text{H}_{29}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 517.1950; found: 517.2140.



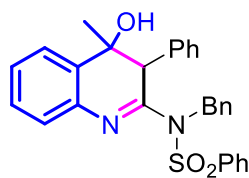
(Z)-N-Benzyl-N-(1-(4-(dimethylamino)phenyl)-2-phenylvinyl)benzenesulfonamide (7aa): yellow gummy oil (0.122 g, 79%); ^1H NMR (400 MHz, CDCl_3) δ 7.53 – 7.47 (m, 3H), 7.33 (dd, J = 8.8, 6.8 Hz, 2H), 7.24 – 7.15 (m, 8H), 7.02 – 6.95 (m, 4H), 6.58 (s, 1H), 6.50 (d, J = 8.9 Hz, 2H), 4.51 (s, 2H), 2.94 (s, 6H); ^{13}C NMR (101 MHz, CDCl_3) merged peaks were present δ 150.42, 140.84, 137.17, 136.02, 135.91, 132.30, 130.04, 128.91, 128.56, 128.51, 128.28, 128.04, 127.87, 127.25, 126.48, 126.39, 111.71, 52.04, 40.36; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{29}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 469.1950; found: 469.2069.



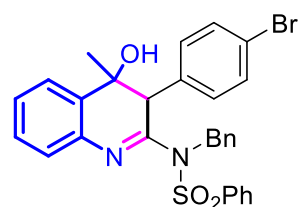
(Z)-N-Benzyl-N-(1-(4-(dimethylamino)phenyl)-2-phenylvinyl)-4-methylbenzenesulfonamide (7ha): colourless gummy (0.117 g, 80%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.49 (d, J = 8.3 Hz, 2H), 7.31 – 7.29 (m, 2H), 7.25 – 7.16 (m, 8H), 7.00 – 6.92 (m, 2H), 6.87 (d, J = 8.9 Hz, 2H), 6.60 (s, 1H), 6.47 (d, J = 9.0 Hz, 2H), 4.50– 4.38 (m, 2H), 2.88 (s, 6H), 2.40 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) merged peaks were present δ 150.61, 143.83, 137.89, 136.87, 136.18, 136.01, 130.12, 129.90, 128.81, 128.74, 128.69, 128.55, 127.95, 127.70, 126.08, 125.79, 111.84, 51.48, 40.35, 21.50; HRMS (ESI) m/z calcd for $\text{C}_{30}\text{H}_{31}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 483.2106; found: 483.2129.



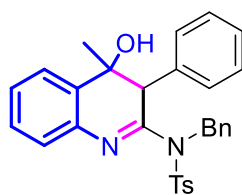
(Z)-N-Cyclohexyl-N-(2-cyclohexyl-1-(4-(dimethylamino)phenyl)vinyl)methanesulfonamide (7ja): white crystalline solid (0.088g, 72%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.24 (d, J = 8.9 Hz, 2H), 6.64 (d, J = 8.9 Hz, 2H), 5.73 (d, J = 10.5 Hz, 1H), 3.15 (s, 3H), 2.89 (s, 6H), 2.37 (dd, J = 20.9, 10.6 Hz, 1H), 1.89 (d, J = 11.2 Hz, 1H), 1.78 – 1.60 (m, 7H), 1.47 (t, J = 14.3 Hz, 2H), 1.36 – 1.07 (m, 9H), 0.85 – 0.74 (m, 2H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 150.09, 136.90, 132.88, 129.09, 127.78, 112.03, 58.71, 41.04, 38.04, 33.41, 32.56, 31.79, 26.06, 26.02, 25.91, 25.80, 25.65, 25.28; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{37}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 405.2576; found: 405.2678.



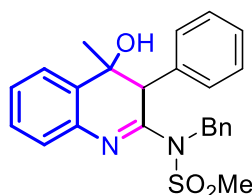
N-Benzyl-N-(4-hydroxy-4-methyl-3-phenyl-3,4-dihydroquinolin-2-yl)benzenesulfonamide (9aa): yellow gummy oil (0.133 g, 92%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.95 – 7.88 (m, 2H), 7.72 – 7.65 (m, 1H), 7.60 – 7.52 (m, 2H), 7.30 (td, J = 7.6, 1.5 Hz, 1H), 7.24– 7.21 (m, 2H), 7.19 – 7.07 (m, 7H), 6.96 (t, J = 7.8 Hz, 2H), 6.51 (d, J = 7.3 Hz, 2H), 5.36 (s, 1H), 4.99– 4.62 (m, 2H), 4.62 (s, 1H), 1.28 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 159.30, 142.75, 139.28, 137.33, 134.44, 133.89, 131.40, 129.47, 129.22, 129.02, 128.63, 128.36, 128.31, 128.07, 127.69, 127.38, 126.93, 126.18, 125.13, 70.50, 55.25, 50.15, 24.44; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{27}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 483.1742; found: 483.1753.



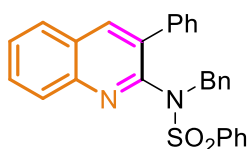
N-Benzyl-N-(3-(4-bromophenyl)-4-hydroxy-4-methyl-3,4-dihydroquinolin-2-yl)benzenesulfonamide (9da): yellow gummy oil (0.147 g, 87%); ^1H NMR (400 MHz, DMSO- d_6) δ 8.00 – 7.91 (m, 2H), 7.73 – 7.65 (m, 1H), 7.57 (t, J = 7.8 Hz, 2H), 7.31– 7.25 (m, 3H), 7.22 – 7.07 (m, 8H), 6.42 (d, J = 8.1 Hz, 2H), 5.44 (s, 1H), 4.99– 4.68 (m, 2H), 4.68 (s, 1H), 1.30 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) merged peaks were present δ 158.92, 142.49, 139.06, 137.06, 133.92, 131.52, 131.23, 131.00, 129.56, 129.38, 128.31, 128.22, 127.41, 127.27, 126.41, 125.21, 121.11, 70.33, 54.90, 50.35, 24.36; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{26}\text{BrN}_2\text{O}_3\text{S}$ $[\text{M}+2]^+$: 563.0848; found: 563.0846.



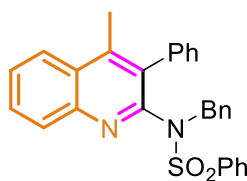
***N*-Benzyl-*N*-(4-hydroxy-4-methyl-3-phenyl-3,4-dihydroquinolin-2-yl)-4-methylbenzenesulfonamide (9ha):** yellow gummy oil (0.14 g, 94%); ^1H NMR (400 MHz, DMSO- d_6) δ 7.79 (d, J = 8.3 Hz, 2H), 7.35 (d, J = 8.1 Hz, 2H), 7.31 (td, J = 7.6, 1.3 Hz, 1H), 7.23 (ddd, J = 8.8, 7.6, 1.0 Hz, 2H), 7.20 – 7.07 (m, 7H), 6.96 (t, J = 7.7 Hz, 2H), 6.51 (d, J = 7.4 Hz, 2H), 5.36 (s, 1H), 4.92 (dd, J = 36.4, 15.4 Hz, 2H), 4.67 (s, 1H), 2.39 (s, 3H), 1.30 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 159.37, 144.40, 142.80, 137.37, 136.34, 134.55, 131.44, 129.92, 129.21, 129.04, 128.59, 128.35, 128.31, 128.12, 127.62, 127.32, 126.91, 126.22, 125.13, 70.50, 55.29, 50.14, 24.47, 21.52; HRMS (ESI) m/z calcd for $\text{C}_{30}\text{H}_{29}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 497.1899; found: 497.1920.



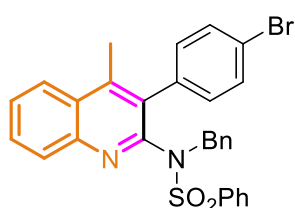
***N*-Benzyl-*N*-(4-hydroxy-4-methyl-3-phenyl-3,4-dihydroquinolin-2-yl)methanesulfonamide (9ka):** yellow gummy oil (0.102 g, 81%); ^1H NMR (400 MHz, DMSO) δ 7.34 – 7.23 (m, 8H), 7.16 – 7.05 (m, 4H), 6.78 (d, J = 7.0 Hz, 2H), 5.25 (s, 1H), 5.05 (d, J = 16.7 Hz, 1H), 4.74 (d, J = 16.7 Hz, 1H), 4.04 (s, 1H), 3.35 (s, 3H), 1.28 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 160.73, 141.65, 138.07, 134.35, 133.77, 129.67, 128.84, 128.39, 128.27, 127.68, 127.53, 127.43, 127.09, 125.43, 124.38, 72.43, 53.71, 49.70, 42.86, 31.19; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 421.1586; found: 421.1603.



***N*-Benzyl-*N*-(3-phenylquinolin-2-yl)benzenesulfonamide (11ab):** yellow gummy liquid (0.126 g, 93%); ^1H NMR (400 MHz, CDCl_3) δ 8.04 (s, 1H), 7.94 (d, J = 8.5 Hz, 1H), 7.80 (dd, J = 8.4, 1.2 Hz, 3H), 7.73 (ddd, J = 8.4, 6.9, 1.4 Hz, 1H), 7.64 – 7.56 (m, 2H), 7.49 (dd, J = 11.0, 4.5 Hz, 2H), 7.37 (qd, J = 5.3, 3.1 Hz, 3H), 7.25 – 7.21 (m, 2H), 7.13 – 7.07 (m, 1H), 7.00 (t, J = 7.5 Hz, 2H), 6.87 – 6.79 (m, 2H), 4.63 (s, 2H); HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{23}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 451.1480; found: 451.1486.

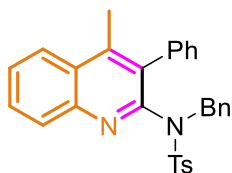


***N*-Benzyl-*N*-(4-methyl-3-phenylquinolin-2-yl)benzenesulfonamide (11aa):** yellow gummy oil (0.124 g, 89%); ^1H NMR (400 MHz, CDCl_3) δ 7.94 (dd, J = 8.5, 1.3 Hz, 2H), 7.75 – 7.59 (m, 3H), 7.58 – 7.46 (m, 2H), 7.42 – 7.34 (m, 2H), 7.34 – 7.27 (m, 1H), 7.23 (t, J = 7.5 Hz, 2H), 7.10 – 7.05 (m, 1H), 7.01 – 6.94 (m, 2H), 6.71 (dd, J = 5.1, 3.2 Hz, 4H), 4.48 (s, 2H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 150.63, 145.75, 145.44, 139.09, 136.48, 135.71, 134.86, 132.72, 130.77, 129.88, 129.41, 129.16, 128.82, 128.38, 128.12, 127.80, 127.71, 127.63, 127.27, 127.20, 124.34, 54.21, 16.58; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{25}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 465.1637; found: 465.1633.



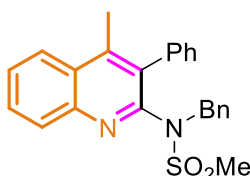
***N*-Benzyl-*N*-(3-(4-bromophenyl)-4-methylquinolin-2-yl)benzenesulfonamide (11da):** colourless gummy oil (0.144 g, 88%); ^1H NMR (400 MHz, CDCl_3) δ 8.05 – 7.99 (m, 1H), 7.99 – 7.92 (m, 1H), 7.75 (ddd, J = 8.3, 6.9, 1.4 Hz, 1H), 7.70 (dt, J = 8.5, 1.6 Hz, 2H), 7.67 – 7.60 (m, 2H), 7.55 – 7.46 (m, 2H), 7.41 (d, J = 8.3 Hz, 2H), 7.23 – 7.14 (m, 1H), 7.13 – 7.02 (m, 2H), 6.80 (dd, J =

5.1, 3.2 Hz, 2H), 6.61 (br s, 2H), 4.59 (s, 2H), 2.34 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 150.38, 145.89, 145.17, 138.60, 135.41, 134.69, 132.83, 132.45, 130.72, 129.89, 129.53, 129.44, 129.08, 128.40, 128.17, 127.71, 127.64, 127.39, 124.27, 121.41, 54.33, 16.51; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{25}\text{N}_2\text{BrO}_2\text{S}$ $[\text{M}+2]^+$: 544.0742; found: 544.0711.



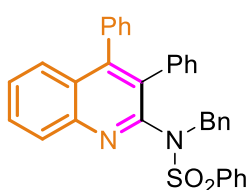
***N*-Benzyl-4-methyl-*N*-(4-methyl-3-phenylquinolin-2-yl)benzenesulfonamide (11ha)**: yellow gummy oil (0.124 g, 86%); ^1H NMR (400 MHz, CDCl_3) δ 7.94 (ddd, $J = 9.2, 8.6, 0.8$ Hz, 2H), 7.66 (ddd, $J = 8.4, 6.9, 1.4$ Hz, 1H), 7.58 – 7.44 (m, 3H), 7.31 – 7.25 (m, 1H), 7.19 (dt, $J = 8.0, 6.7$ Hz, 5H), 7.10 – 7.02 (m, 1H), 7.02 – 6.93 (m, 2H), 6.87 – 6.57 (m, 4H), 4.47 (s, 2H), 2.38 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3)

δ 150.66, 145.73, 145.24, 143.45, 136.52, 136.01, 135.79, 134.92, 130.74, 129.87, 129.37, 129.28, 129.16, 128.98, 128.04, 127.73, 127.58, 127.52, 127.15, 127.06, 124.27, 54.05, 21.58, 16.50; HRMS (ESI) m/z calcd for $\text{C}_{30}\text{H}_{27}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 479.1793; found: 479.1767.



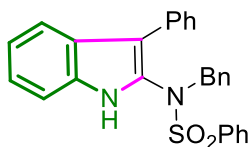
***N*-Benzyl-*N*-(4-methyl-3-phenylquinolin-2-yl)methanesulfonamide (11ka)**: yellow gummy oil (0.102 g, 85%); ^1H NMR (400 MHz, CDCl_3) δ 7.97 (ddd, $J = 19.0, 8.4, 0.6$ Hz, 2H), 7.66 (ddd, $J = 8.3, 6.9, 1.3$ Hz, 1H), 7.53 (ddd, $J = 8.3, 6.9, 1.3$ Hz, 1H), 7.31 – 7.25 (m, 3H), 7.19 – 7.05 (m, 4H), 7.05 – 6.81 (m, 4H), 4.44 (s, 2H), 2.95 (s, 3H), 2.34 (s, 3H); ^{13}C

NMR (101 MHz, DMSO) merged peaks were present δ 151.53, 145.67, 136.13, 135.17, 133.93, 130.58, 129.56, 129.46, 128.32, 127.98, 127.77, 127.64, 127.41, 127.15, 124.27, 54.22, 40.85, 16.52; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 403.1480; found: 403.1442.



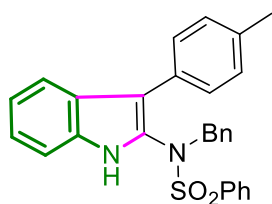
***N*-Benzyl-*N*-(3,4-diphenylquinolin-2-yl)benzenesulfonamide (11 ac)**: yellow gummy oil (0.118 g, 75%); ^1H NMR (400 MHz, CDCl_3) δ 8.07 (dd, $J = 8.4, 0.4$ Hz, 1H), 7.84 – 7.70 (m, 4H), 7.61 (ddd, $J = 7.4, 4.7, 1.3$ Hz, 1H), 7.55 – 7.53 (m, 1H), 7.49 (ddd, $J = 4.9, 4.2, 1.7$ Hz, 3H), 7.21 (d, $J = 2.7$ Hz, 2H), 7.17 – 7.12 (m, 2H), 7.09 – 7.00 (m, 6H), 6.80 (dd, $J = 17.8, 16.5$ Hz, 4H), 4.60 (s, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ

150.94, 150.03, 146.33, 139.32, 136.35, 135.78, 134.85, 134.63, 132.65, 131.32, 130.05, 129.63, 129.47, 128.95, 128.57, 128.30, 128.04, 127.90, 127.63, 127.57, 127.33, 127.20, 127.02, 126.68, 126.57, 54.12; HRMS (ESI) m/z calcd for $\text{C}_{34}\text{H}_{27}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 527.1793; found: 527.1788.

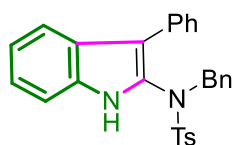


***N*-Benzyl-*N*-(3-phenyl-1*H*-indol-2-yl)benzenesulfonamide (12aa)**: light yellow gummy oil (0.100 g, 78%); ^1H NMR (400 MHz, CDCl_3) δ 8.52 (s, 1H), 7.79 – 7.75 (m, 2H), 7.69 – 7.63 (m, 1H), 7.54 – 7.48 (m, 3H), 7.32 – 7.28 (m, 1H), 7.26 – 7.21 (m, 2H), 7.19 – 7.15 (m, 5H), 7.10 – 7.04 (m, 3H), 6.60 (dd, $J = 8.2, 1.4$ Hz, 2H), 4.52 (s, 2H); ^{13}C

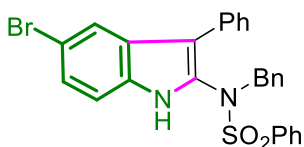
NMR (101 MHz, CDCl_3) δ 138.48, 136.02, 133.25, 133.00, 129.37, 129.07, 128.39, 128.28, 127.86, 127.64, 127.43, 126.90, 126.48, 123.02, 120.07, 119.46, 112.57, 110.98, 53.52; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{23}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 439.1480; found: 439.1485.



N-Benzyl-N-(3-(*p*-tolyl)-1*H*-indol-2-yl)benzenesulfonamide (12ba): off-white solid (0.115 g, 85%); ¹H NMR (400 MHz, CDCl₃) δ 8.57 (s, 1H), 7.79 (dd, *J* = 8.4, 1.2 Hz, 2H), 7.68 (t, *J* = 7.5 Hz, 1H), 7.58 – 7.47 (m, 3H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.25 – 7.17 (m, 4H), 7.13 – 7.06 (m, 3H), 7.01 (d, *J* = 7.8 Hz, 2H), 6.50 (d, *J* = 8.0 Hz, 2H), 4.55 (s, 2H), 2.37 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 138.51, 136.54, 136.11, 133.23, 133.16, 129.94, 129.34, 129.10, 128.88, 128.35, 128.25, 127.79, 127.46, 127.40, 126.57, 122.89, 119.92, 119.45, 112.36, 110.93, 53.33, 21.12; HRMS (ESI) *m/z* calcd for C₂₈H₂₅N₂O₂S [M+H]⁺: 453.1637; found: 453.1648.



N-Benzyl-4-methyl-N-(3-phenyl-1*H*-indol-2-yl)benzenesulfonamide (12ha): colourless gummy oil (0.11 g, 81%); ¹H NMR (400 MHz, CDCl₃) δ 8.55 (s, 1H), 7.69 – 7.61 (m, 2H), 7.50 (d, *J* = 8.0 Hz, 1H), 7.33 – 7.28 (m, 3H), 7.26 – 7.16 (m, 7H), 7.12 – 7.04 (m, 3H), 6.65 (dd, *J* = 8.2, 1.3 Hz, 2H), 4.54 (s, 2H), 2.47 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 144.22, 136.11, 135.55, 133.25, 133.06, 129.86, 129.10, 128.36, 128.28, 127.80, 127.47, 126.78, 126.43, 122.94, 120.02, 119.43, 112.53, 110.97, 53.50, 21.58; HRMS (ESI) *m/z* calcd for C₂₈H₂₅N₂O₂S [M+H]⁺: 453.1637; found: 453.1637.

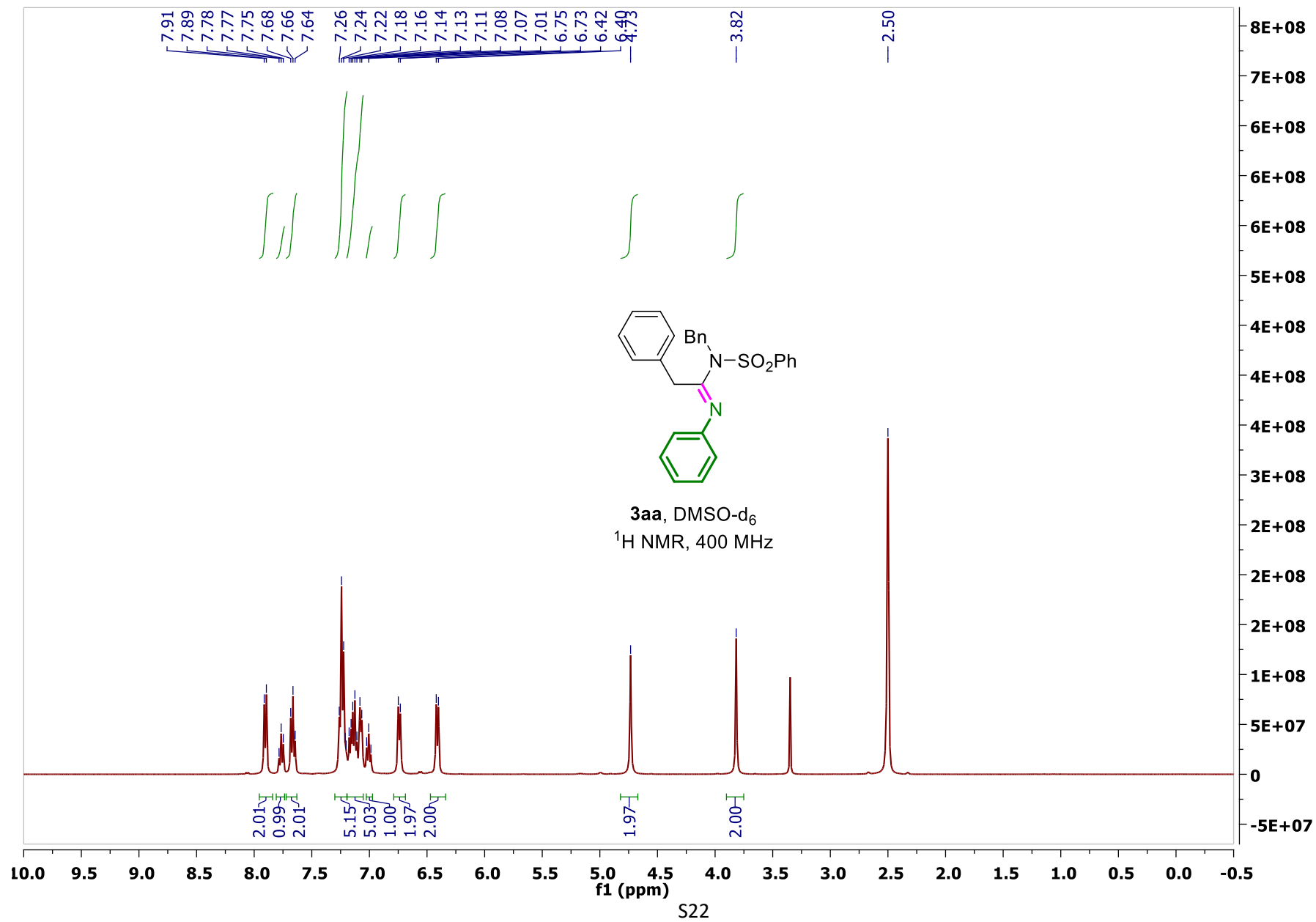


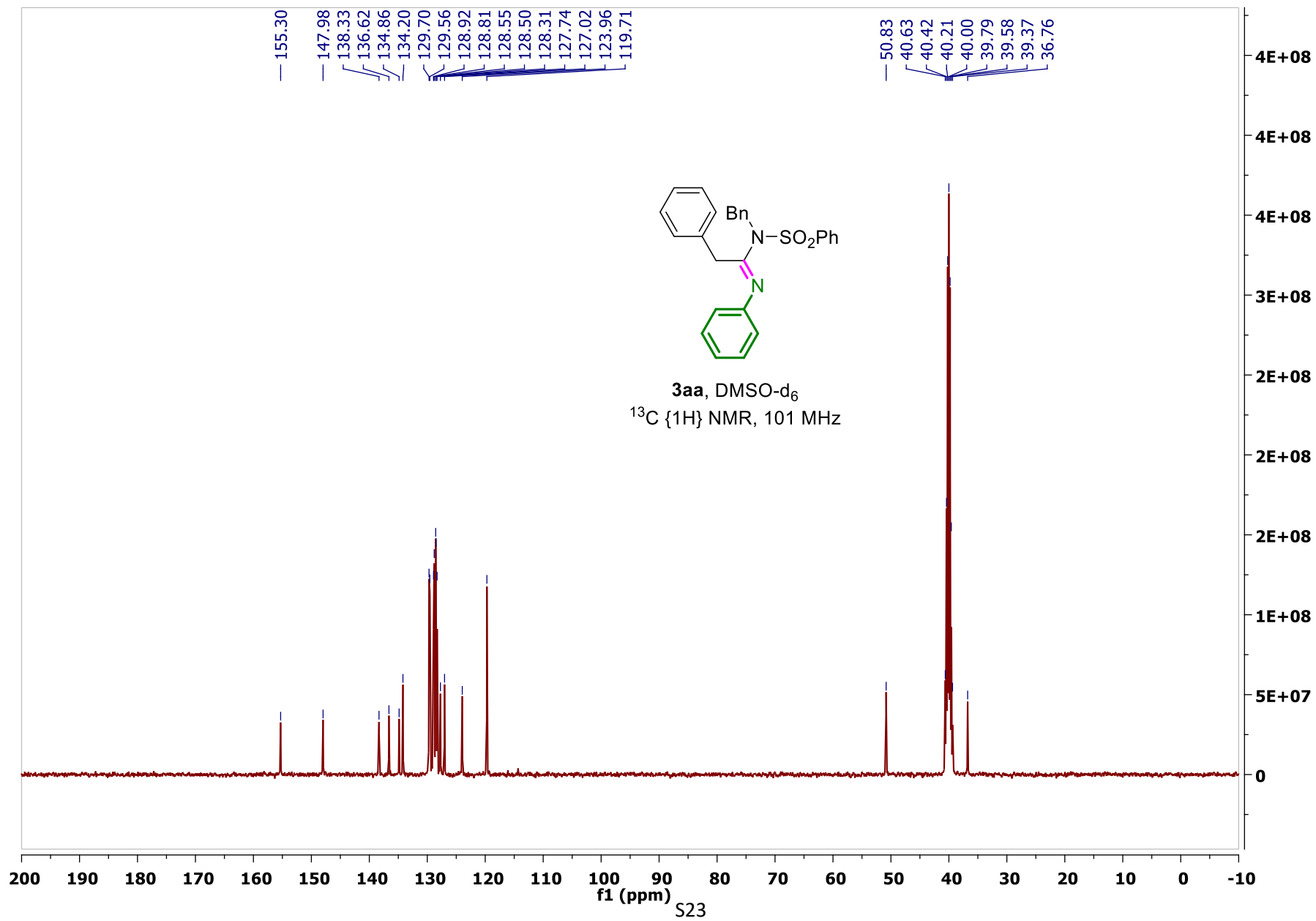
N-Benzyl-N-(5-bromo-3-phenyl-1*H*-indol-2-yl)benzenesulfonamide (12aj): off-white solid (0.133 g, 86%); ¹H NMR (400 MHz, CDCl₃) δ 8.66 (s, 1H), 7.76 (dd, *J* = 8.4, 1.2 Hz, 2H), 7.72 – 7.64 (m, 1H), 7.61 – 7.51 (m, 3H), 7.29 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.25 (dd, *J* = 3.9, 2.6 Hz, 1H), 7.23 – 7.13 (m, 6H), 7.08 – 7.01 (m, 2H), 6.54 (dd, *J* = 8.2, 1.3 Hz, 2H), 4.51 (s, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 138.28, 135.74, 133.39, 132.26, 131.73, 129.44, 128.98, 128.53, 128.41, 128.22, 128.10, 127.94, 127.37, 127.22, 125.92, 121.88, 113.43, 112.52, 112.15, 53.34; HRMS (ESI) *m/z* calcd for C₂₇H₂₂N₂O₂SBr [M+H]⁺: 517.0585; found: 517.0576.

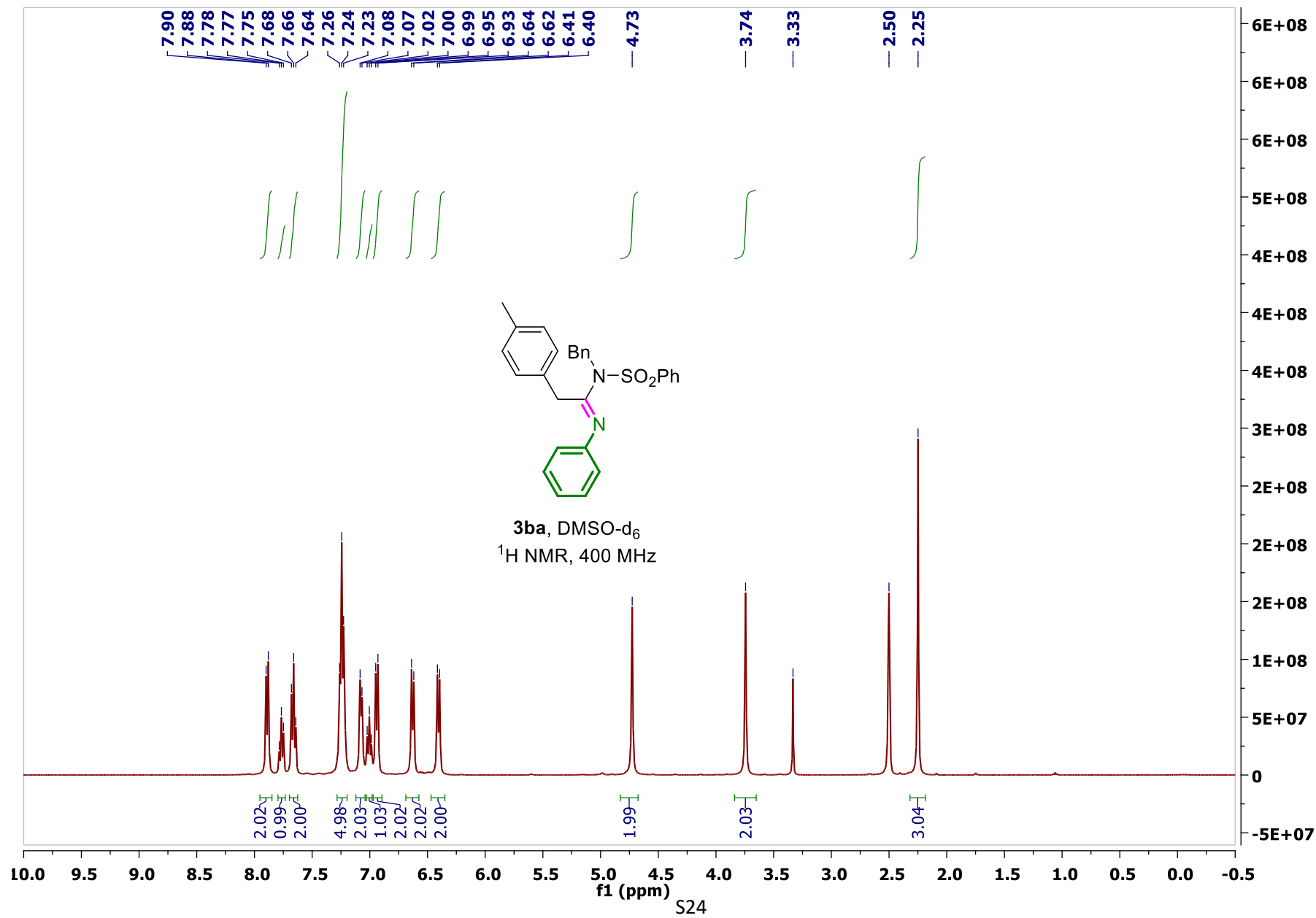
12. References.

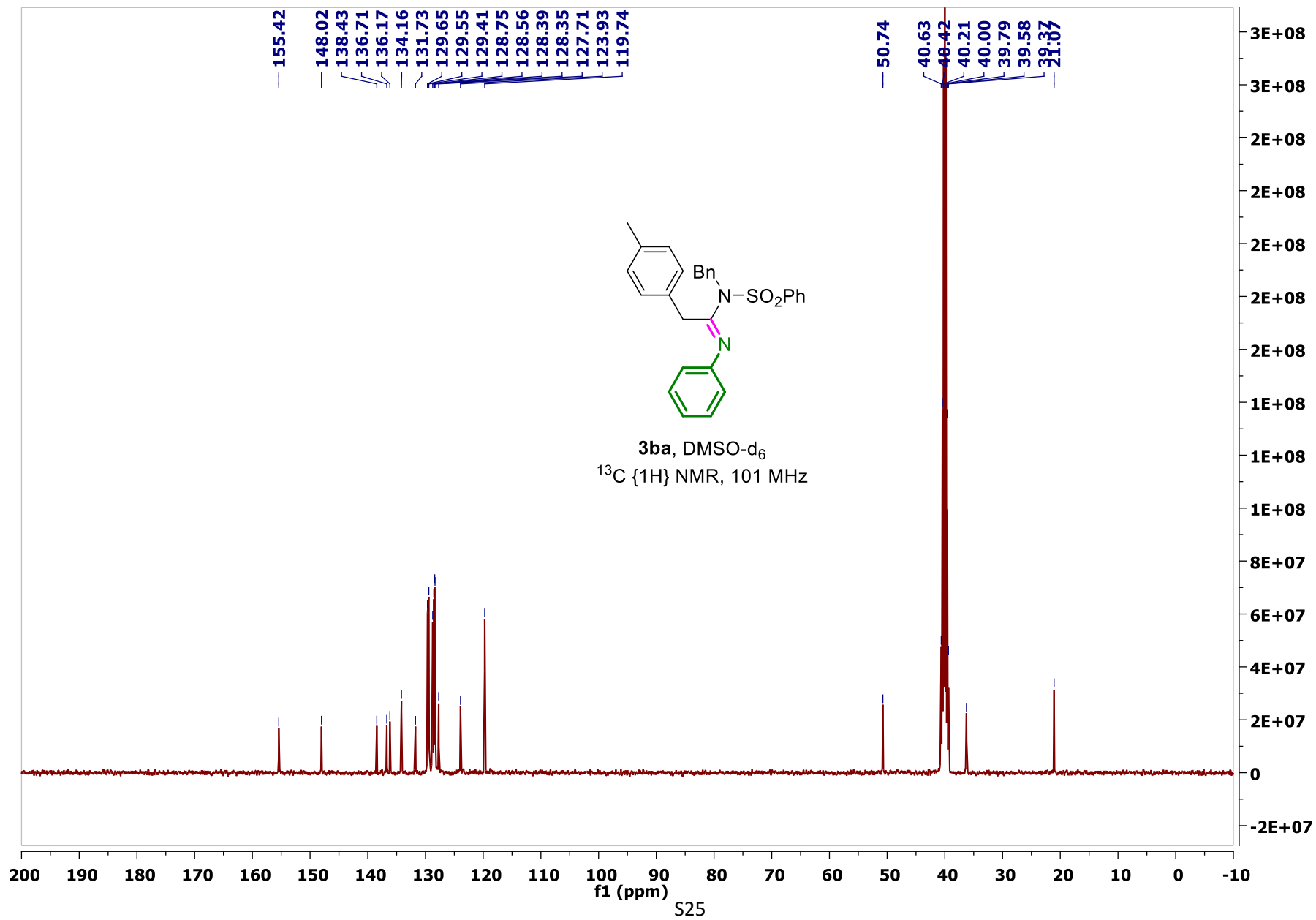
1. A. Coste, G. Karthikeyan, F. Couty and G. Evano, *Angew. Chemie - Int. Ed.*, **2009**, *48*, 4381–4385.
2. K. Jouvin, J. Heimbürger and G. Evano, *Chem. Sci.*, **2012**, *3*, 756–76

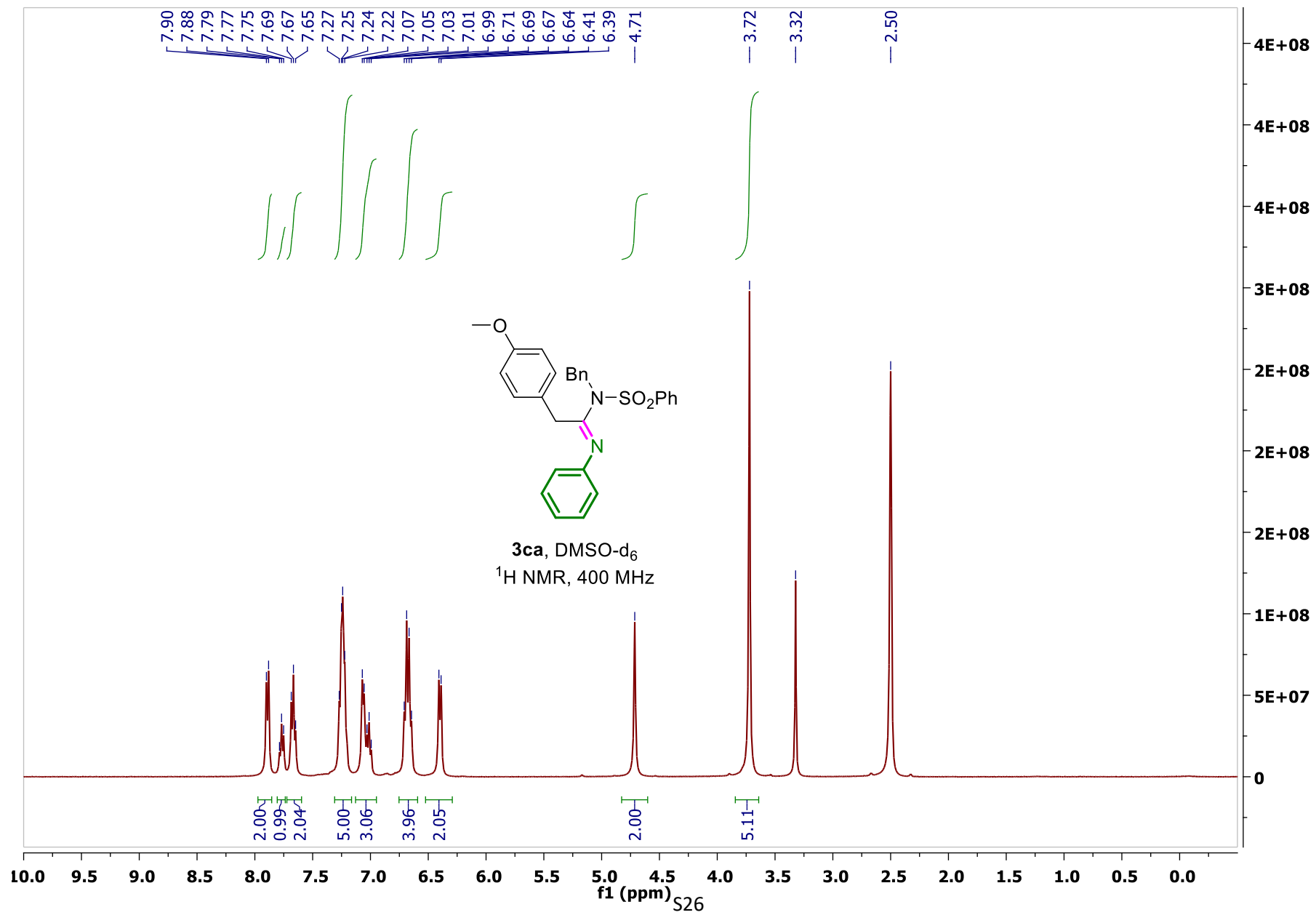
13. ¹H, ¹³C, NOE NMR spectra of synthesized compounds.

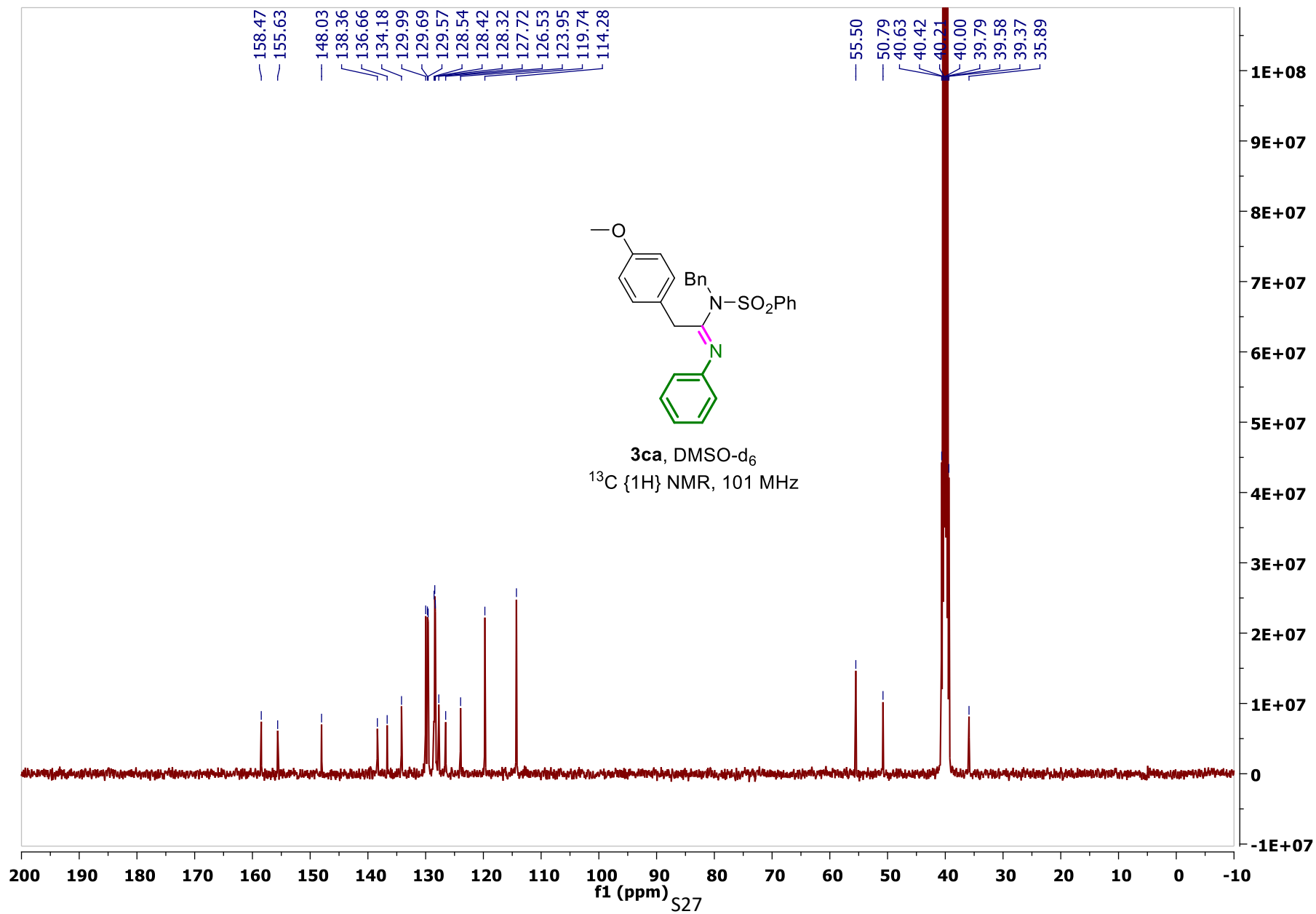


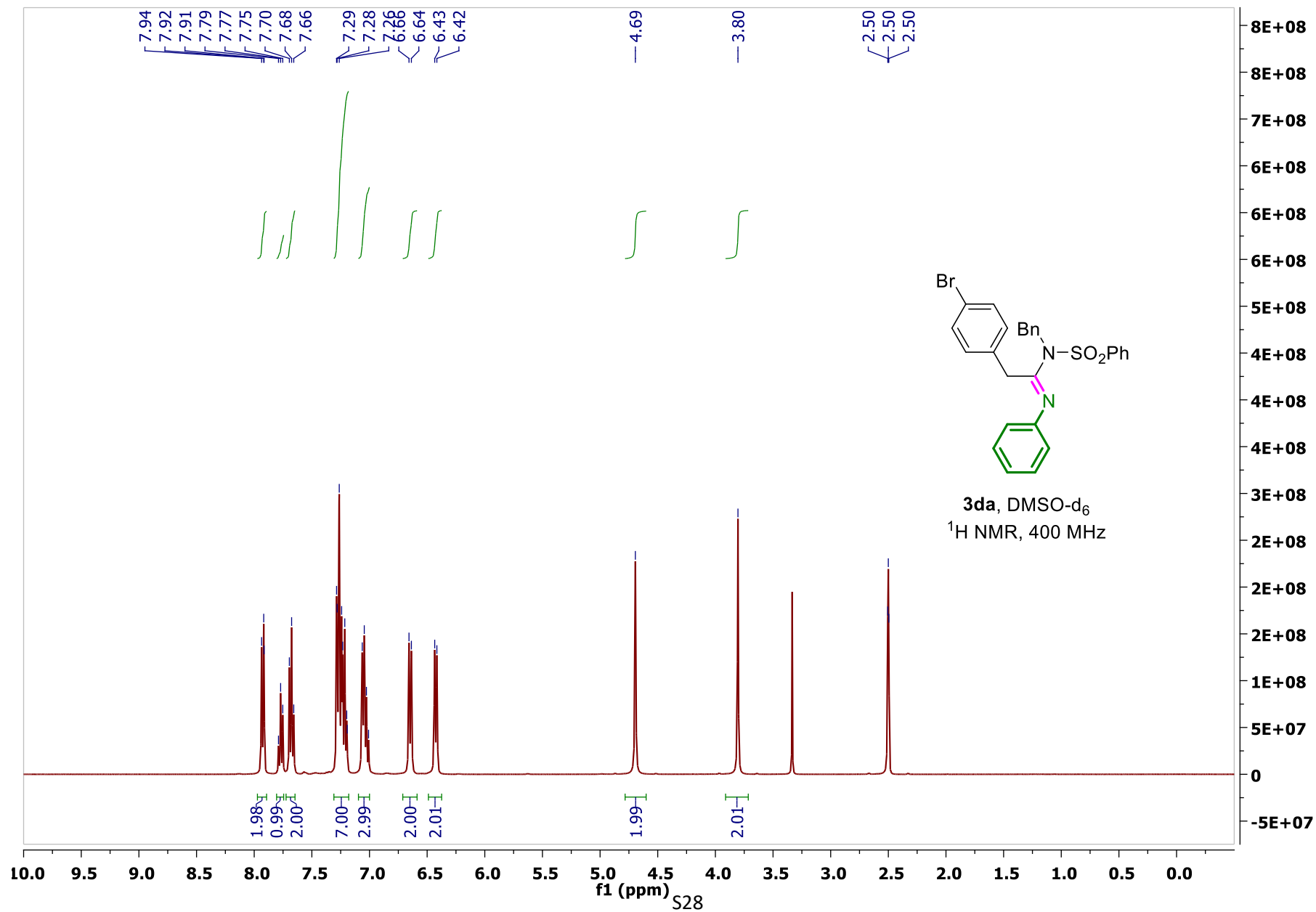


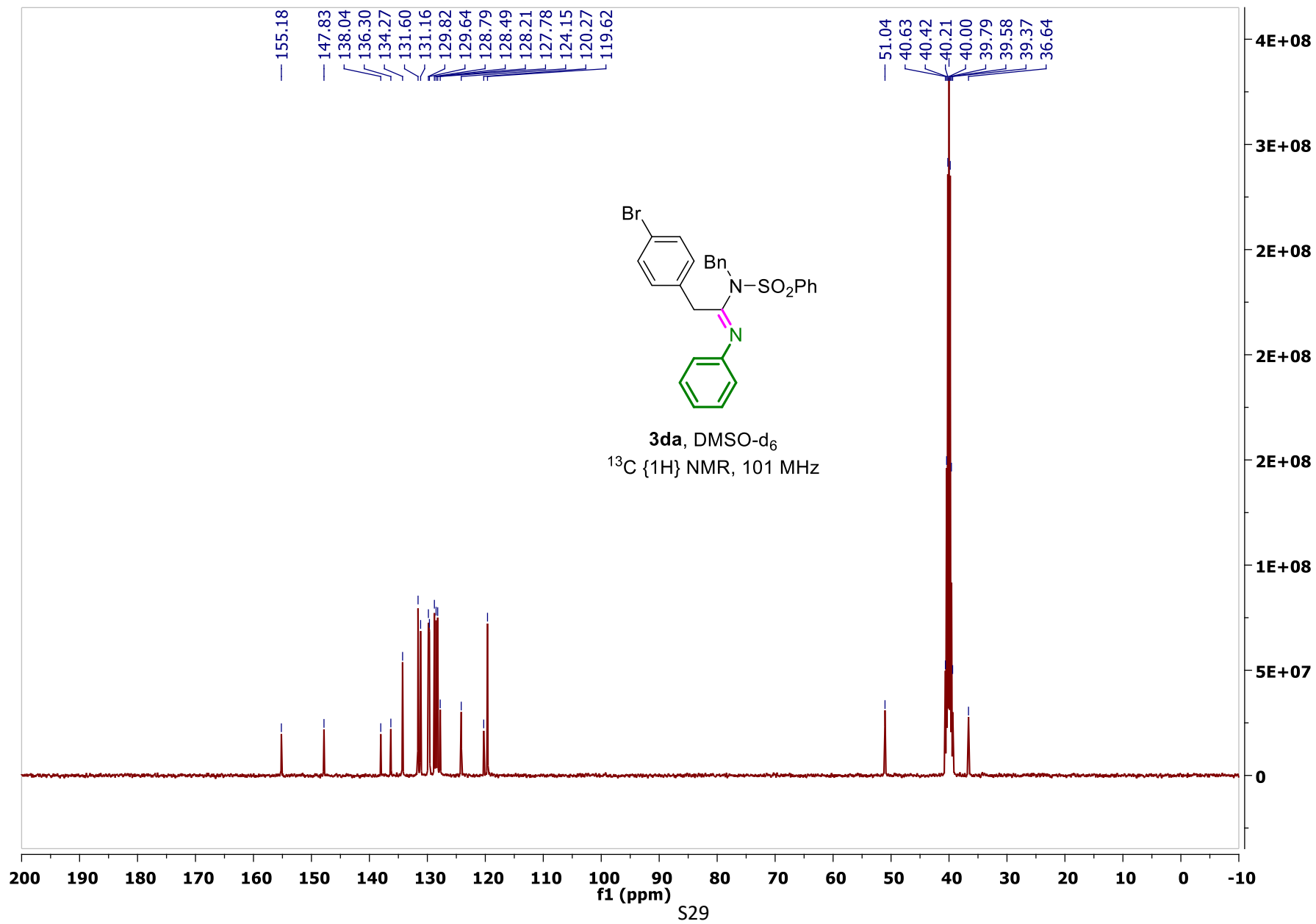


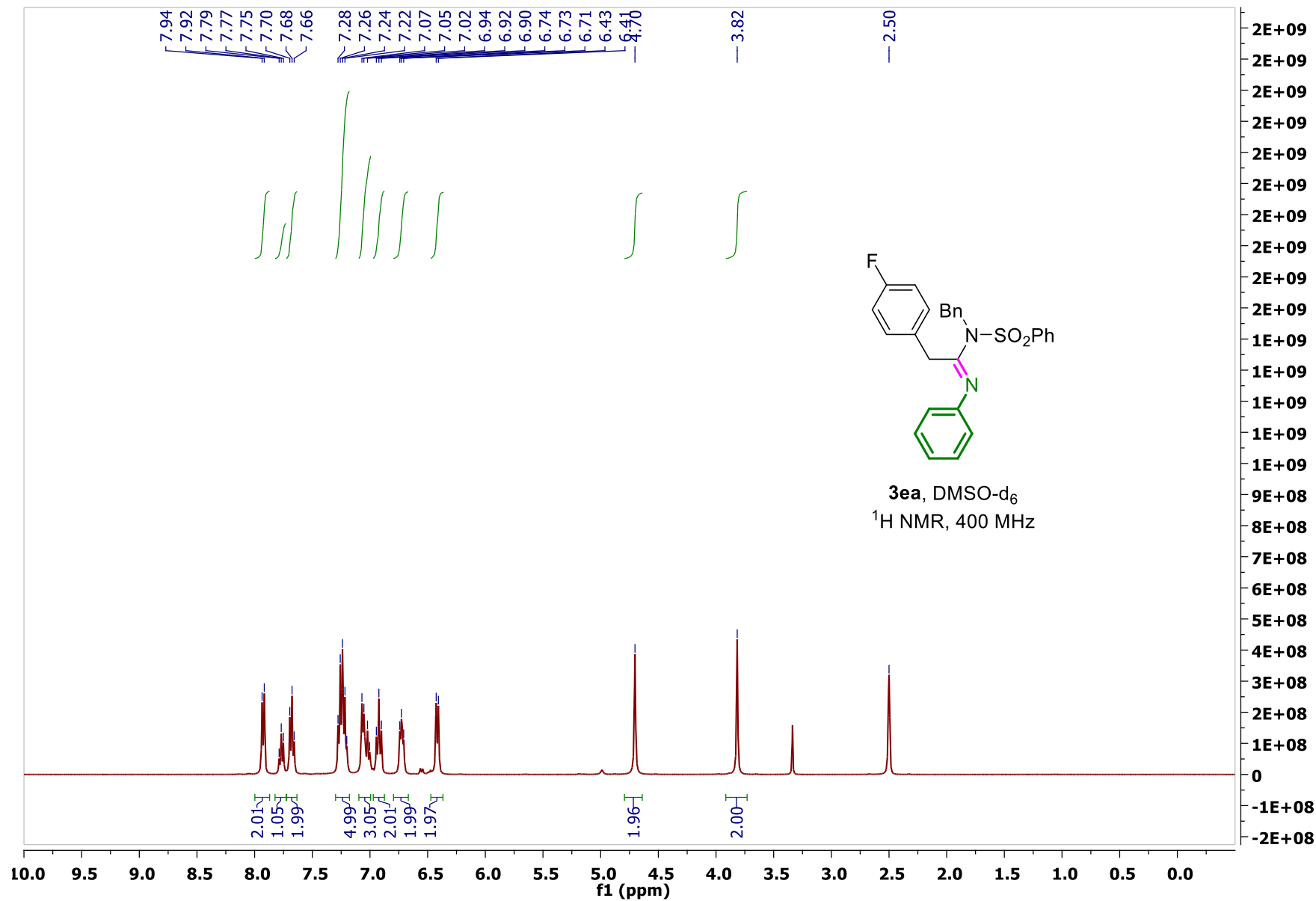


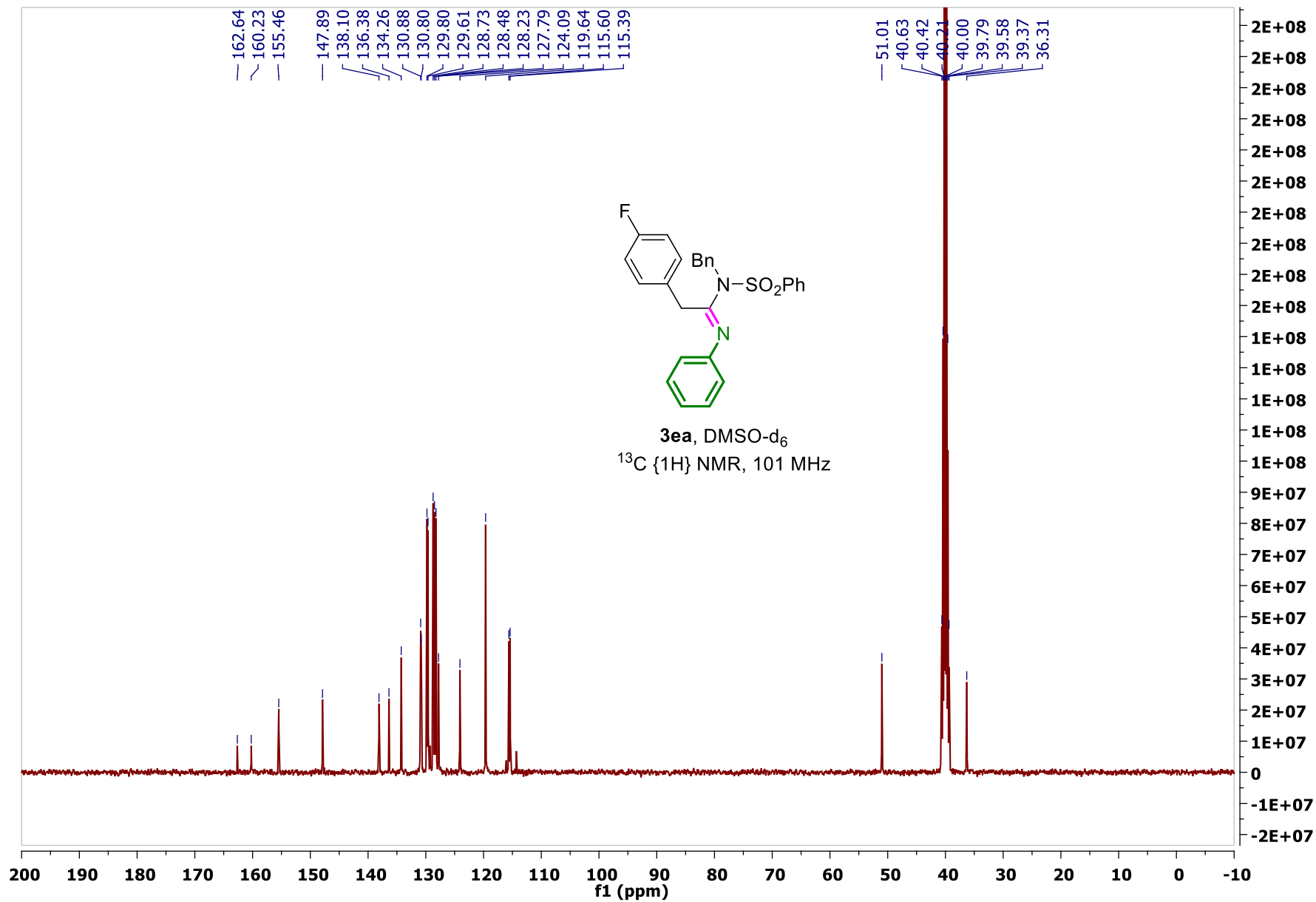


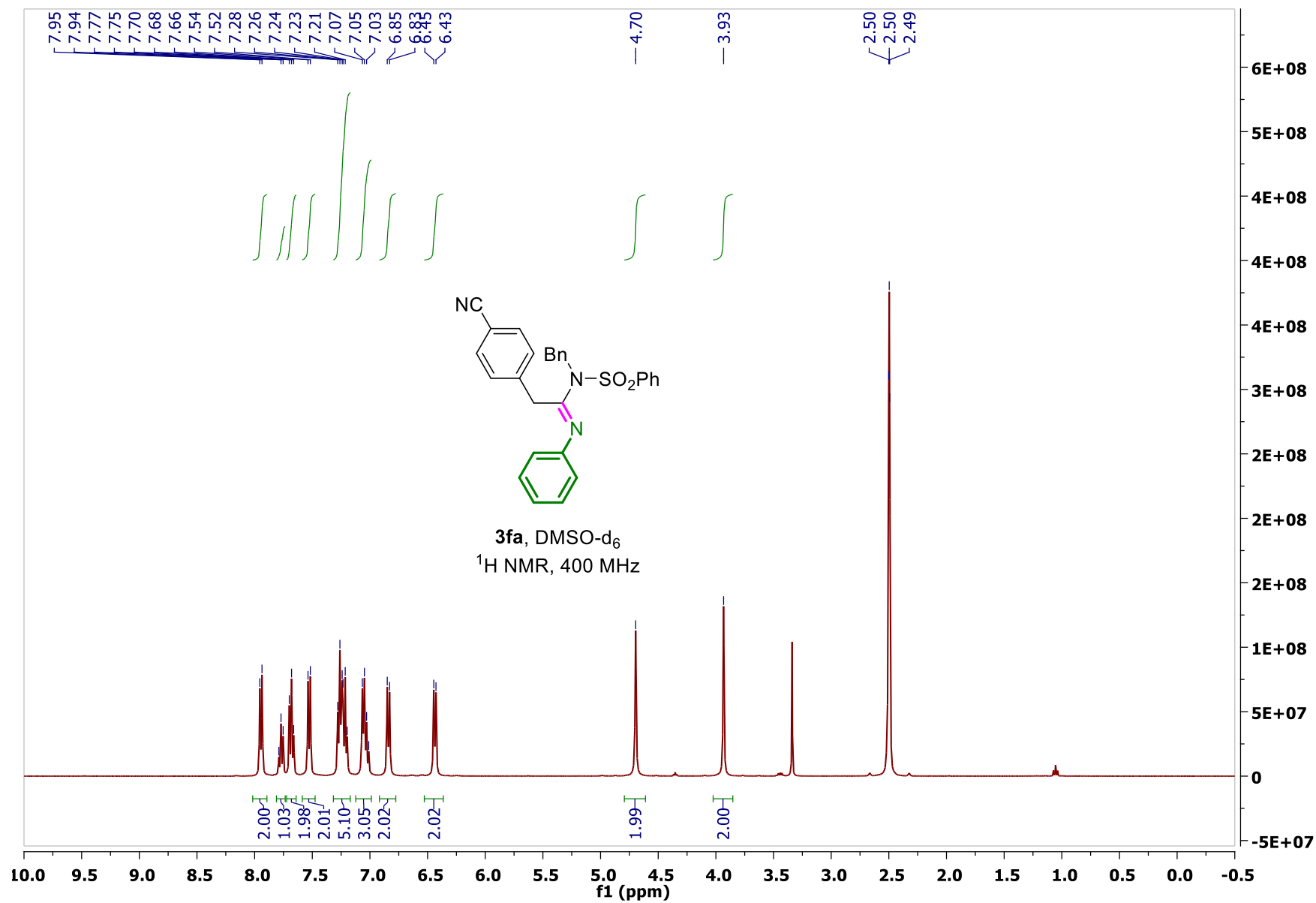


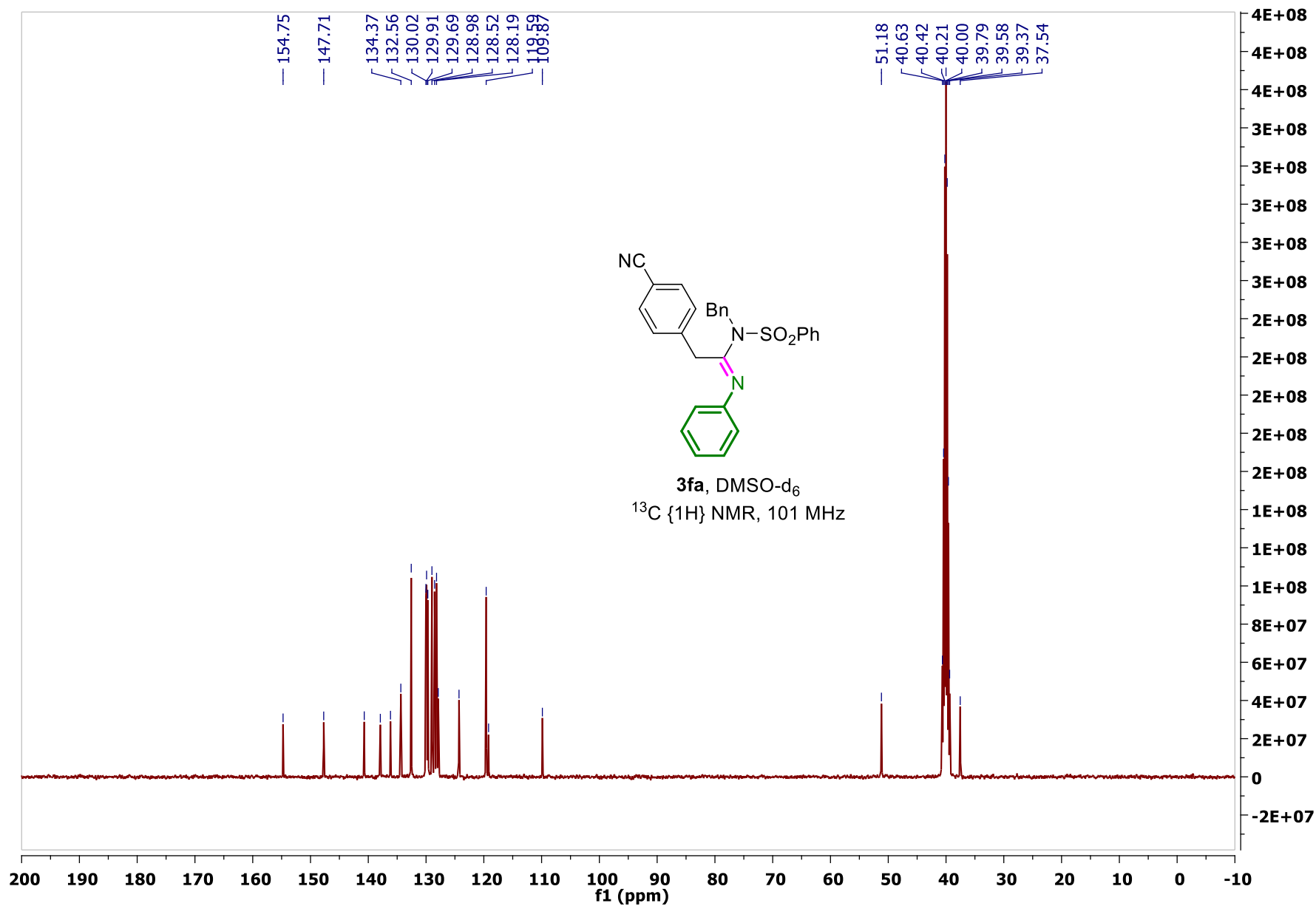


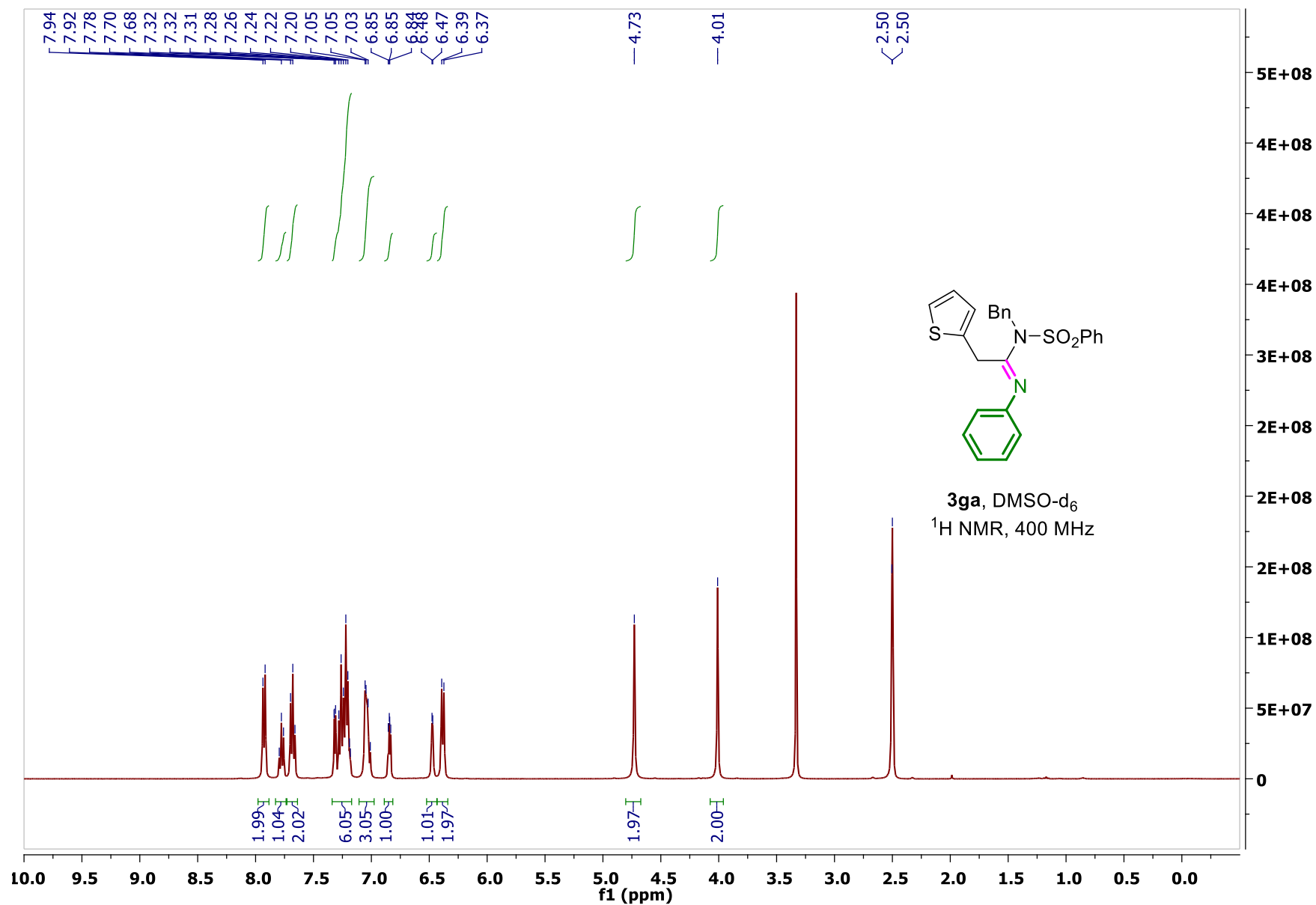


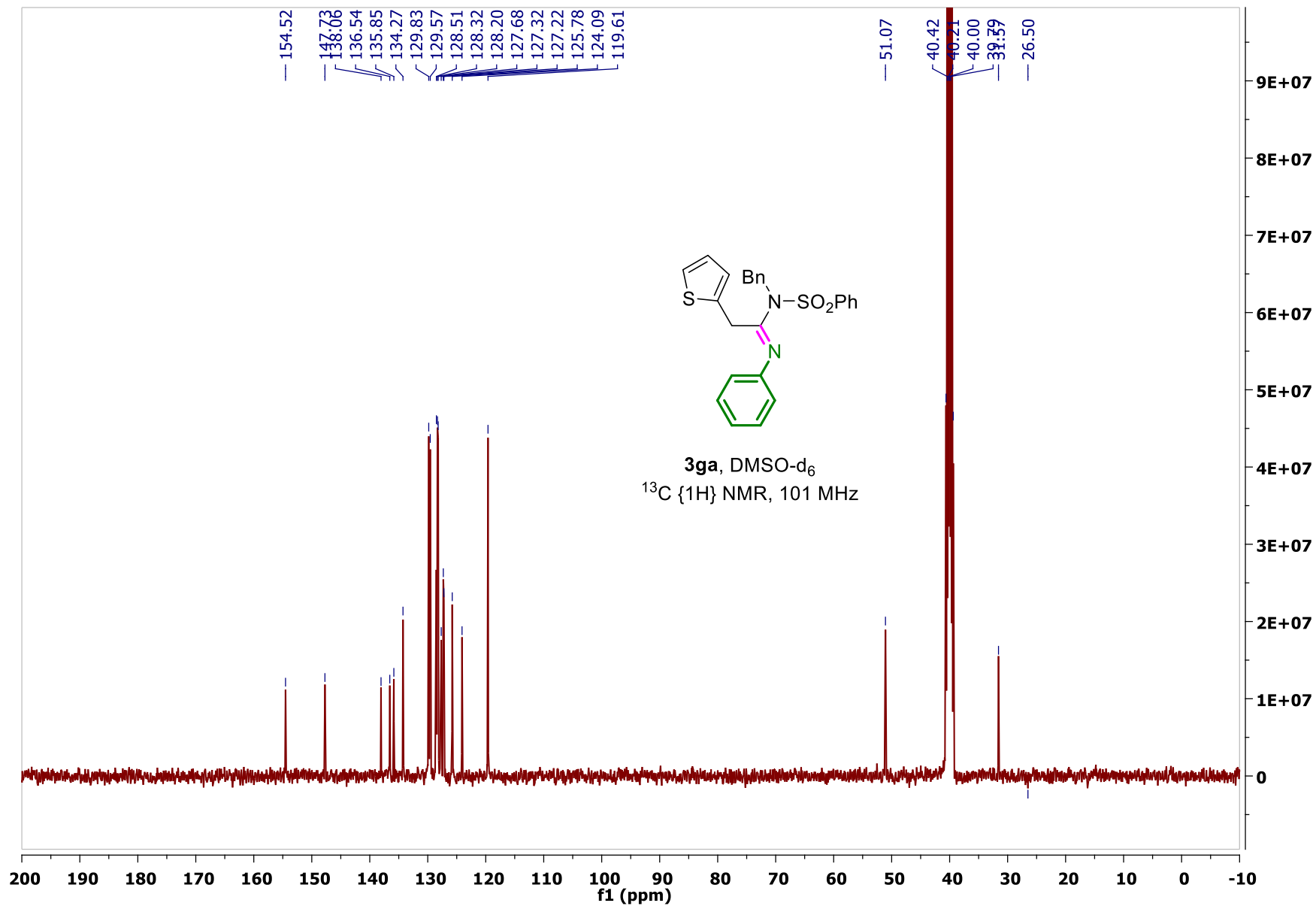


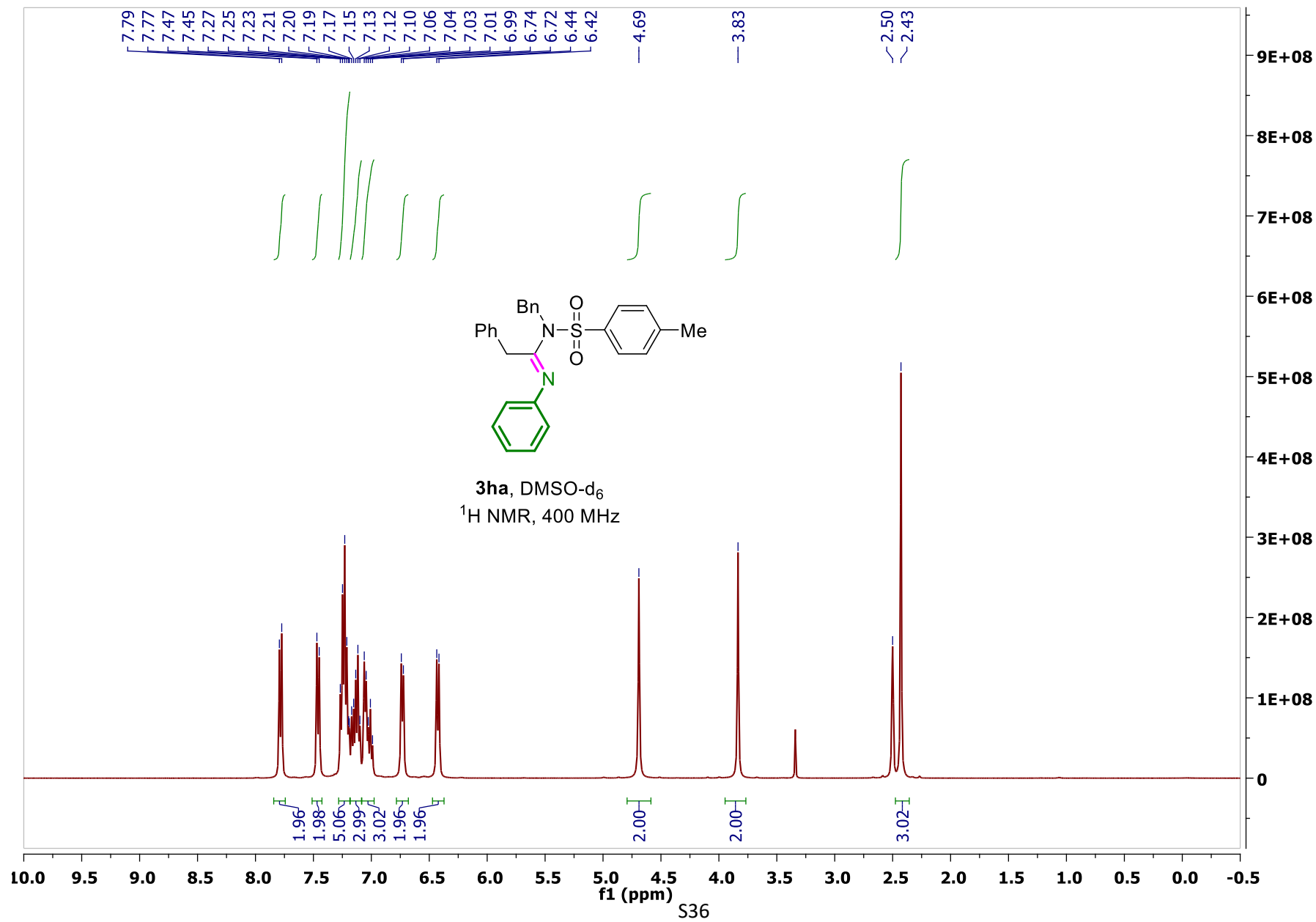


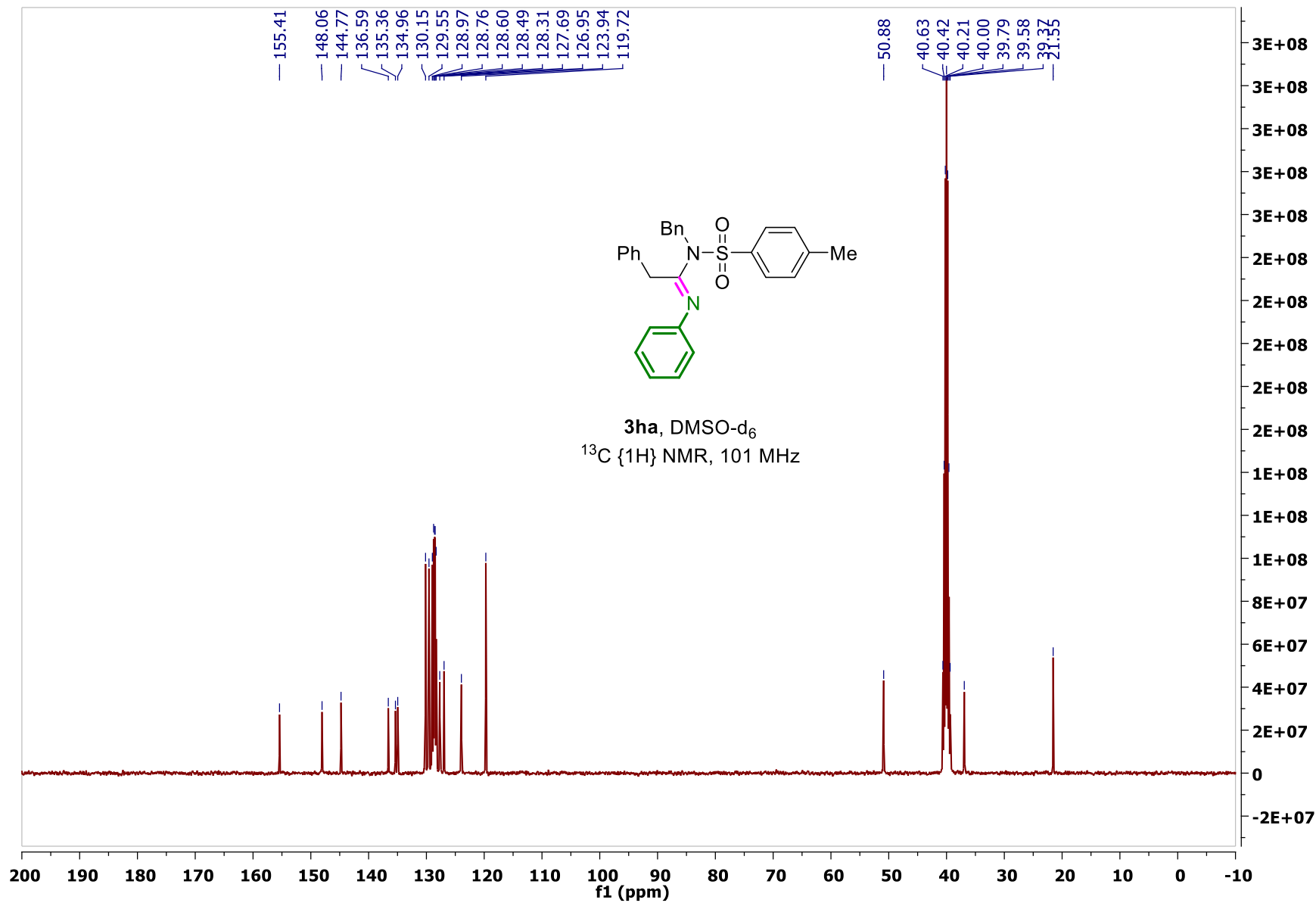


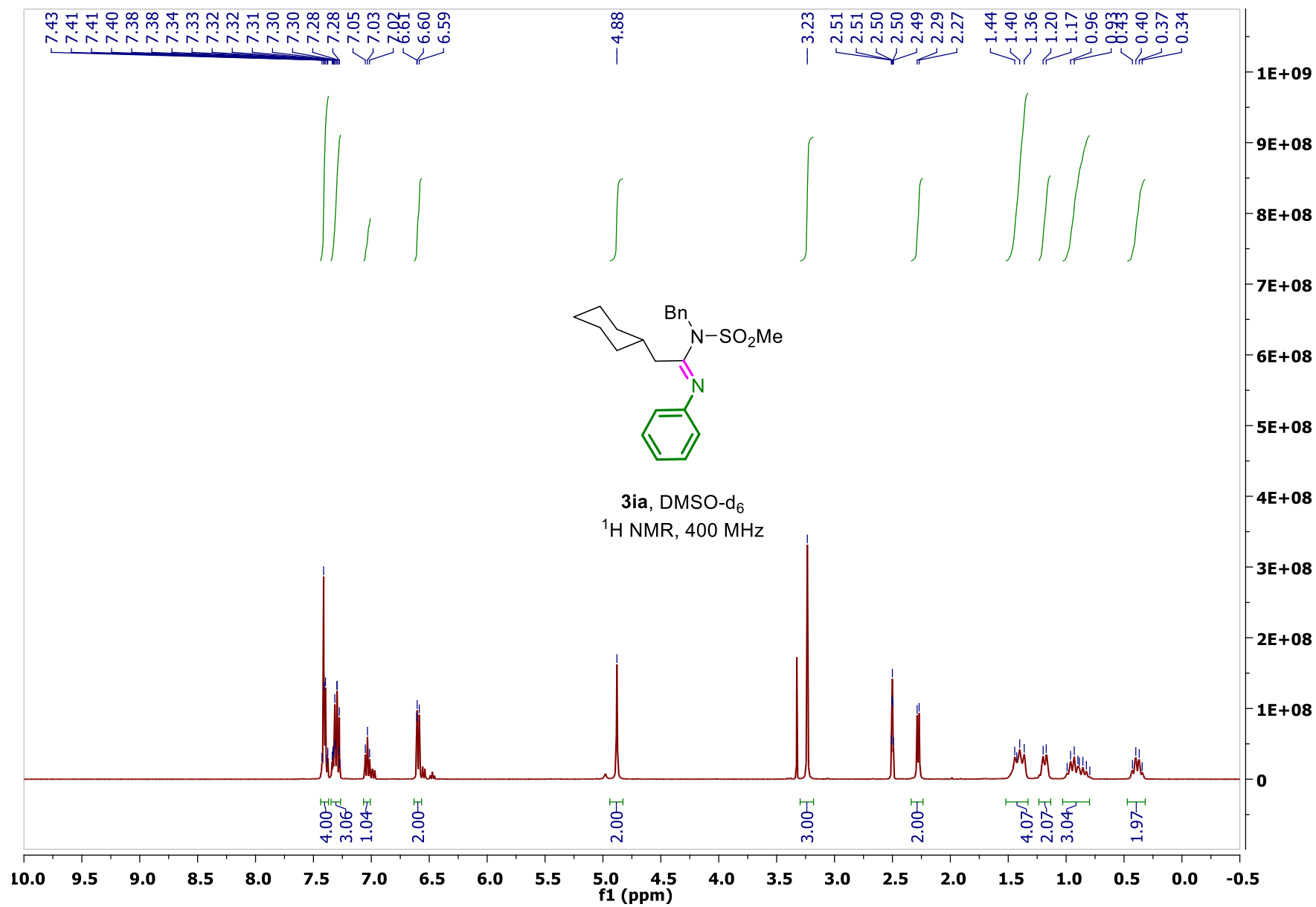


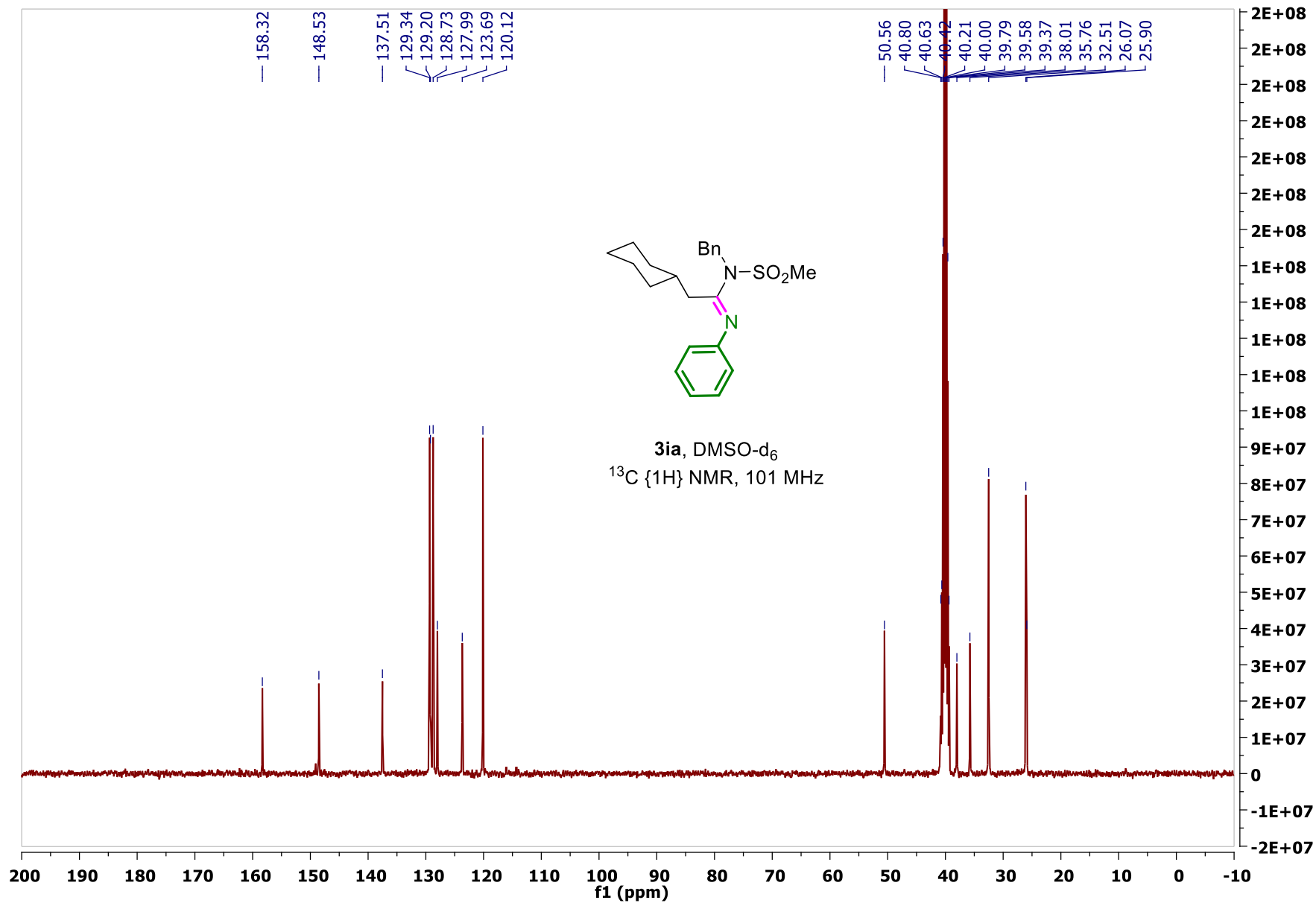


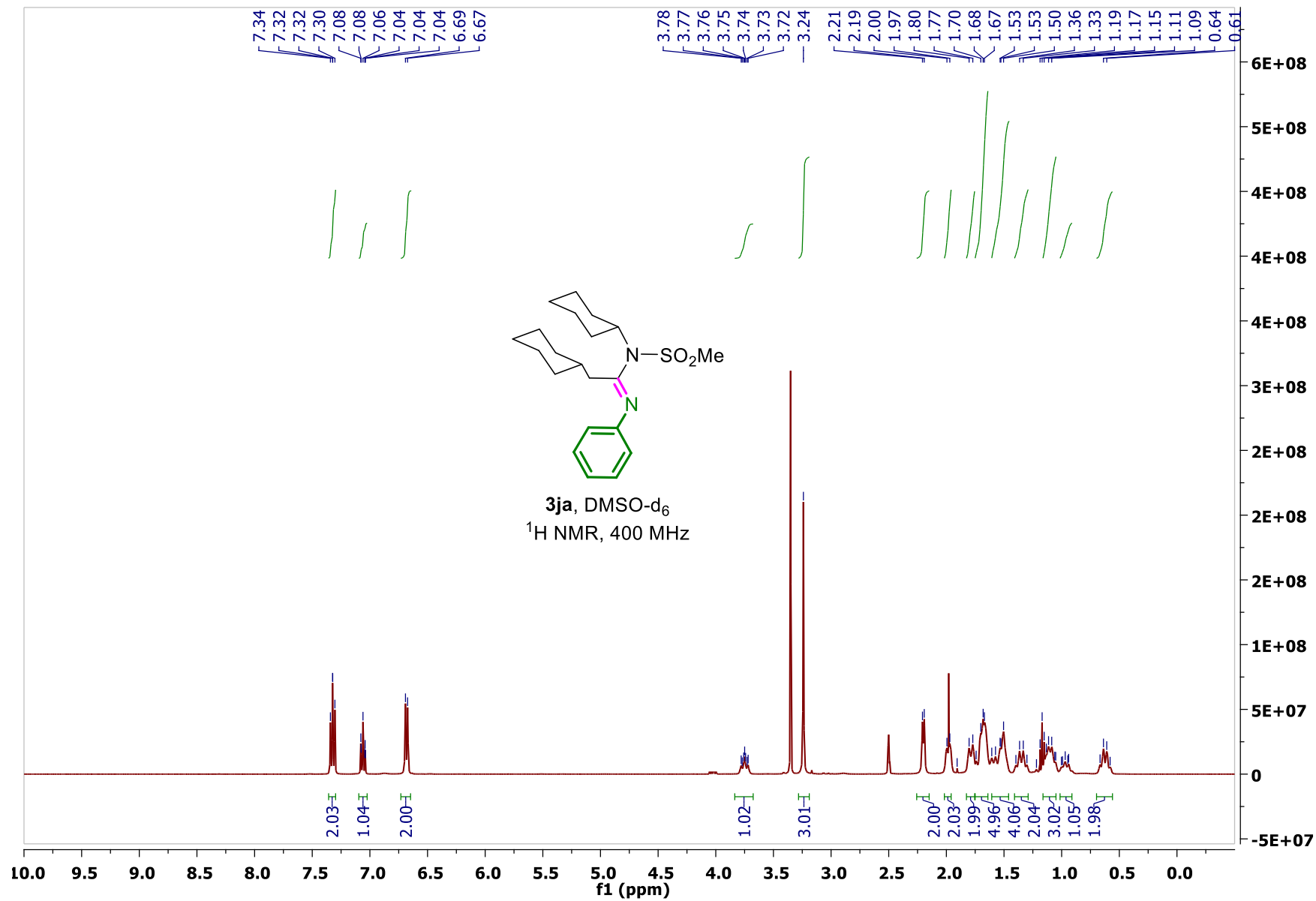


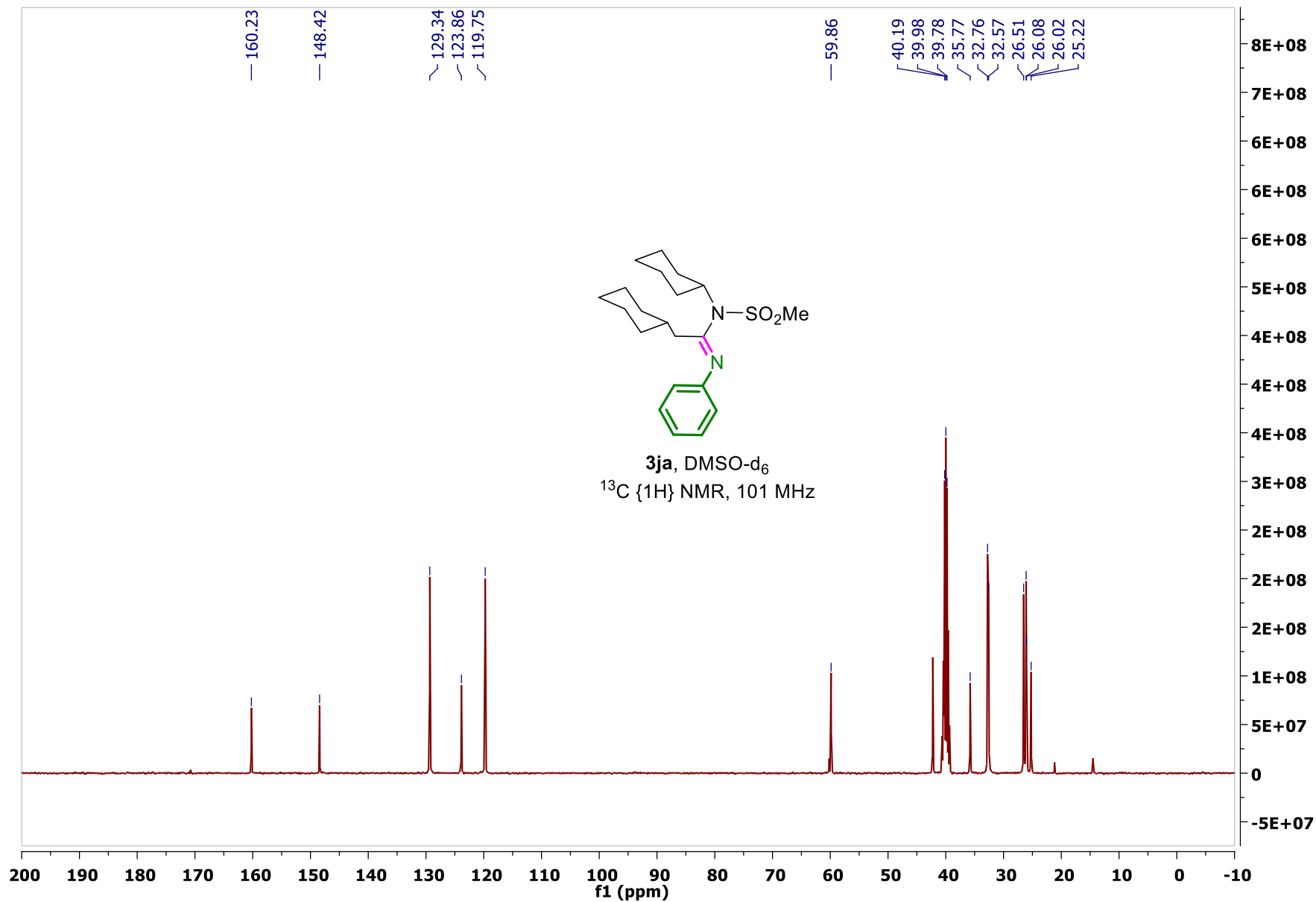


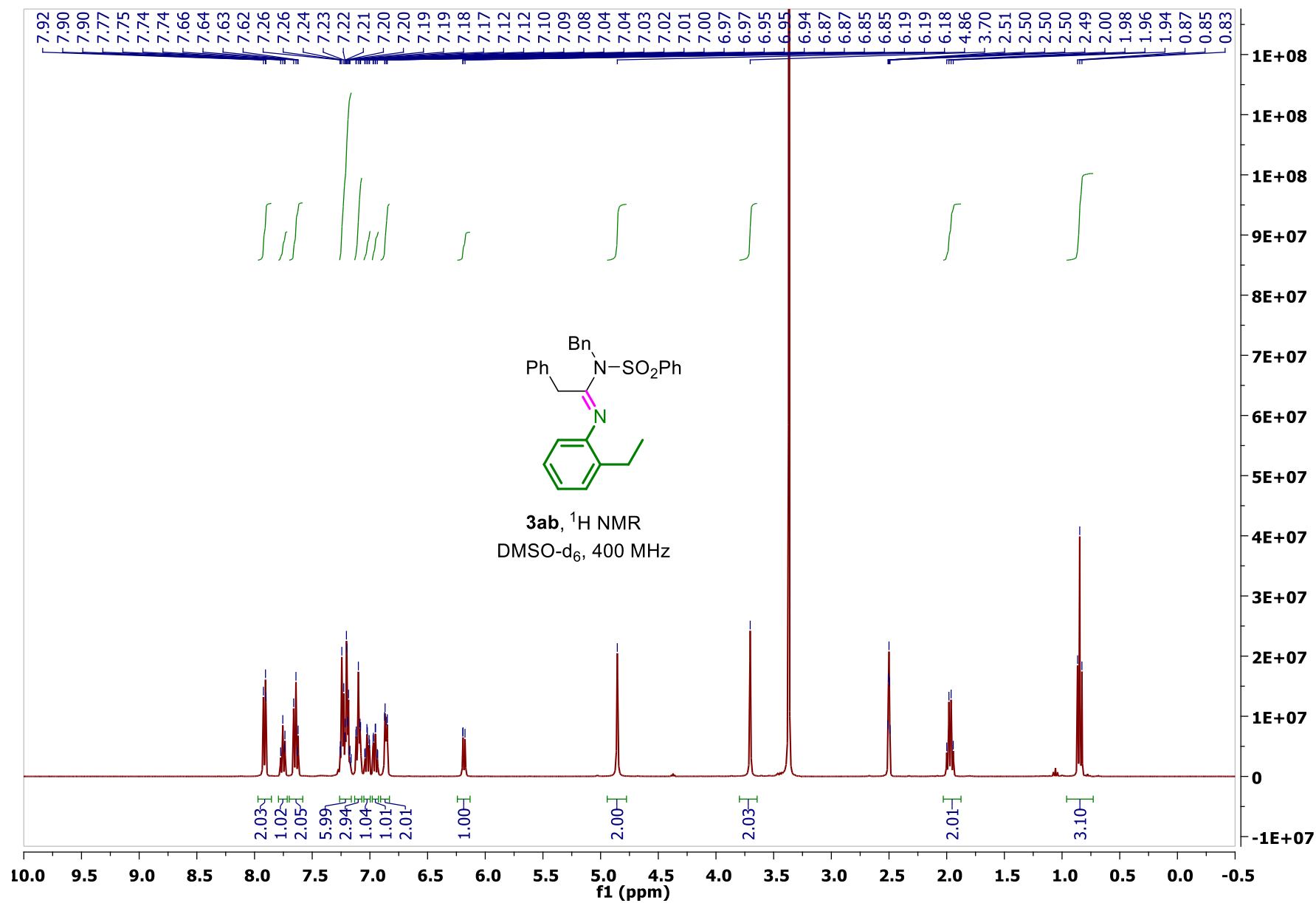


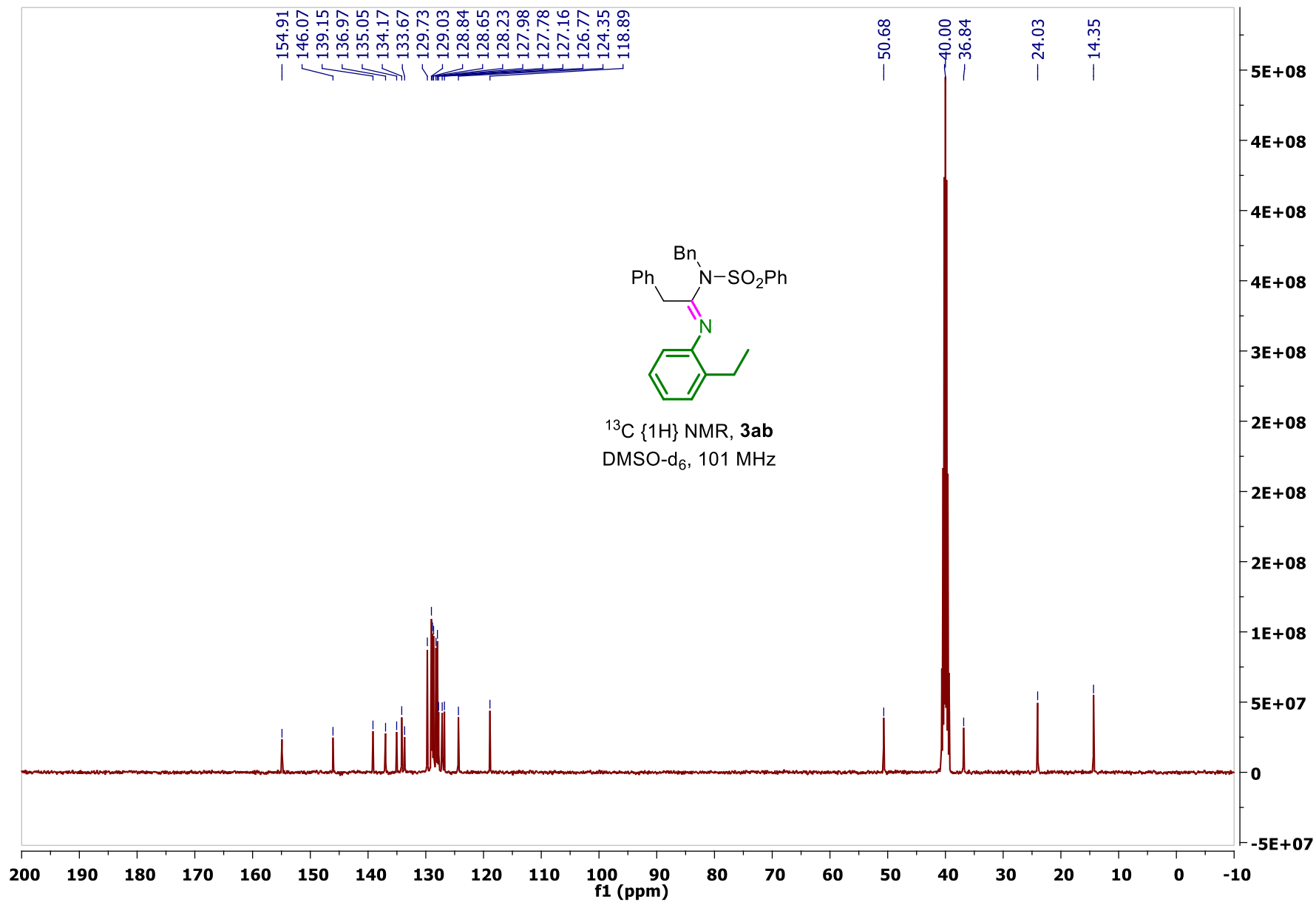


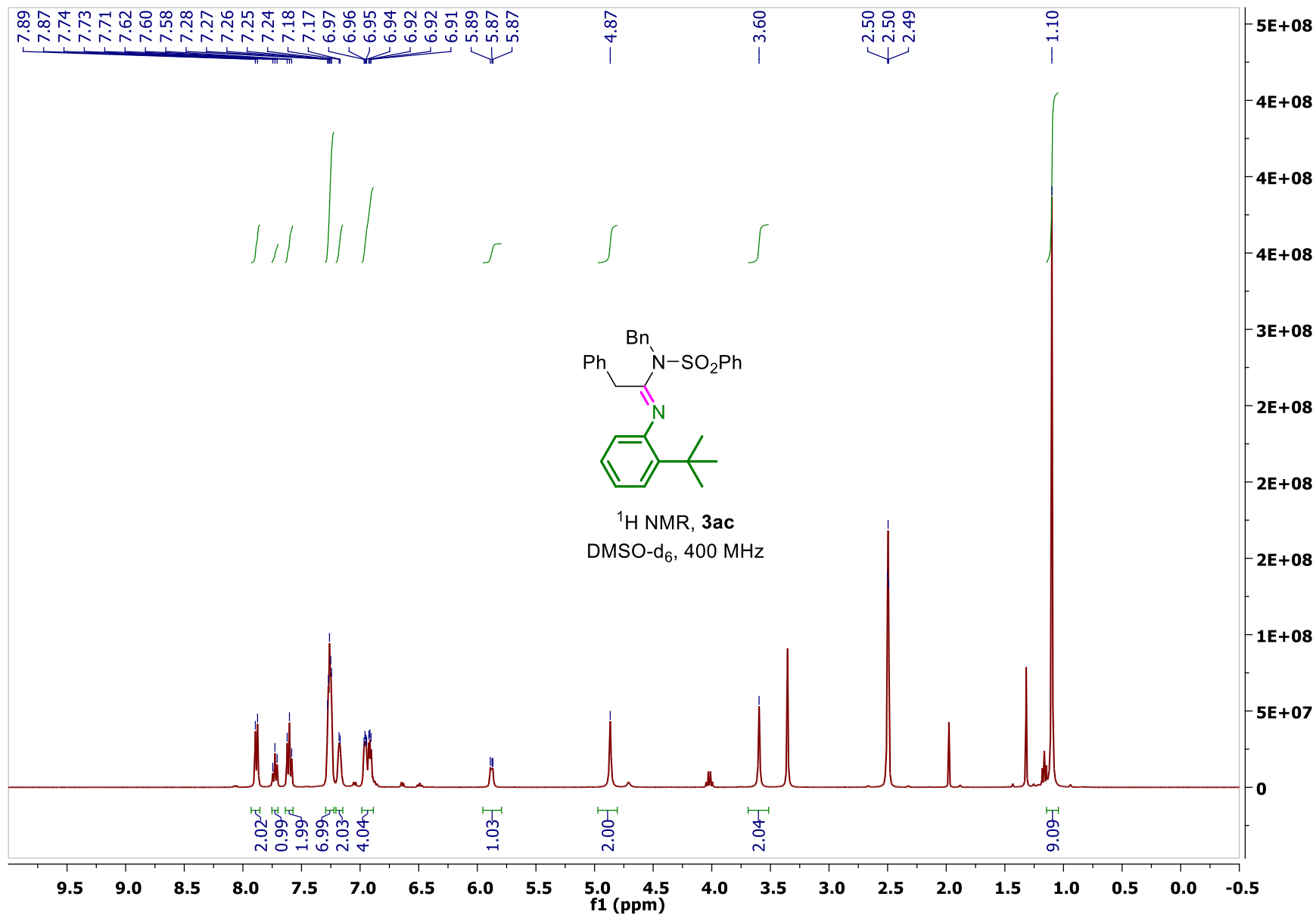


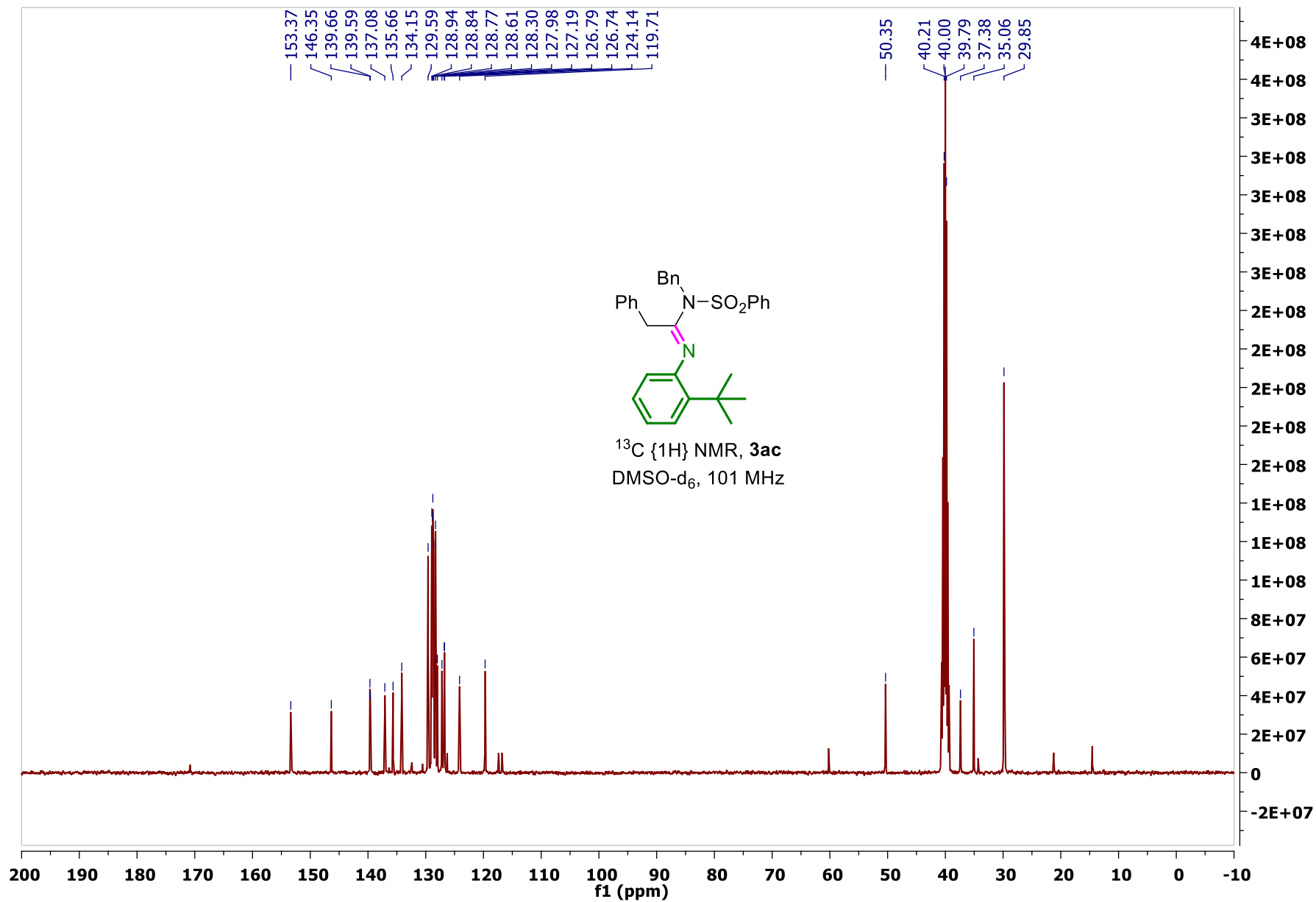


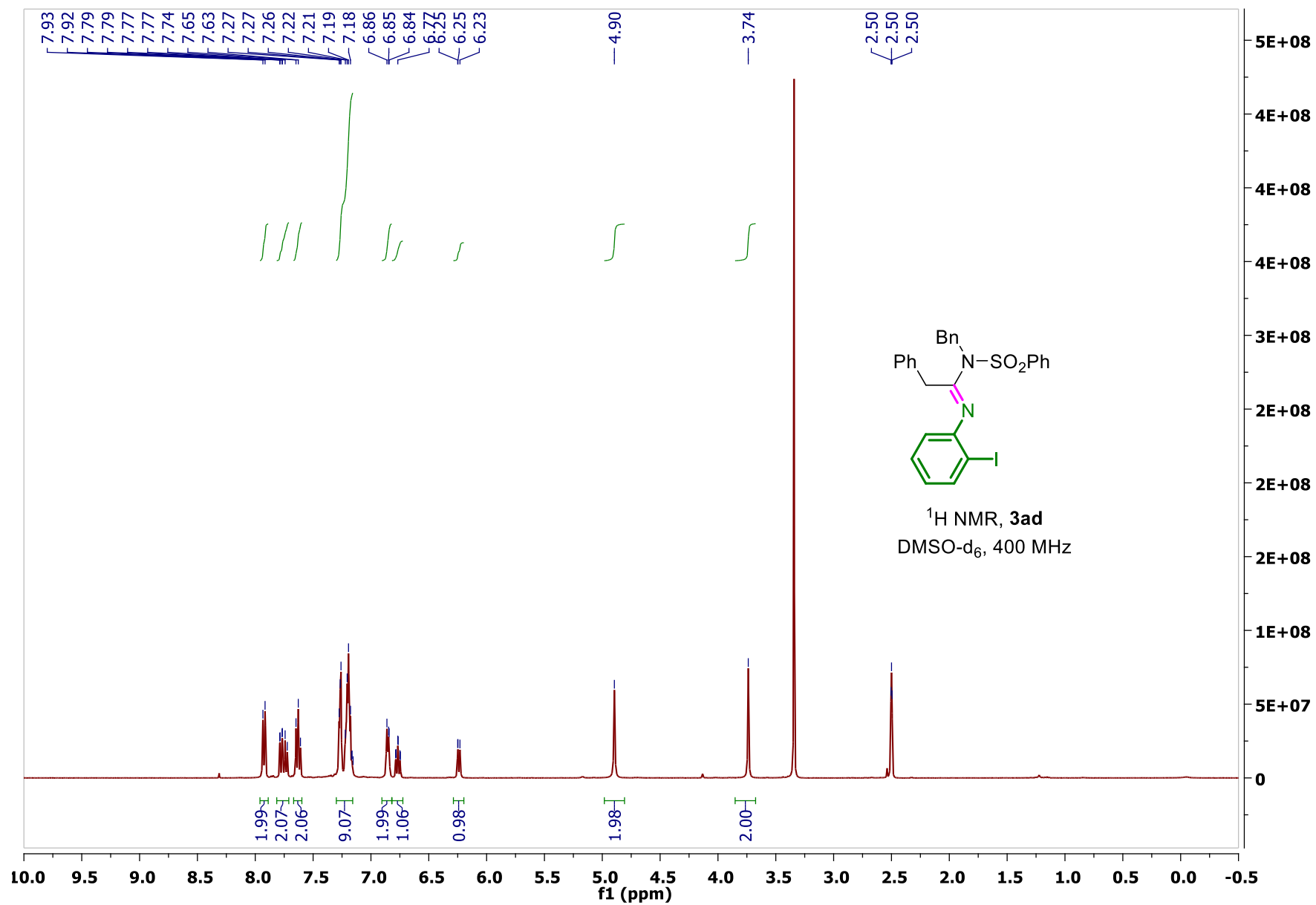


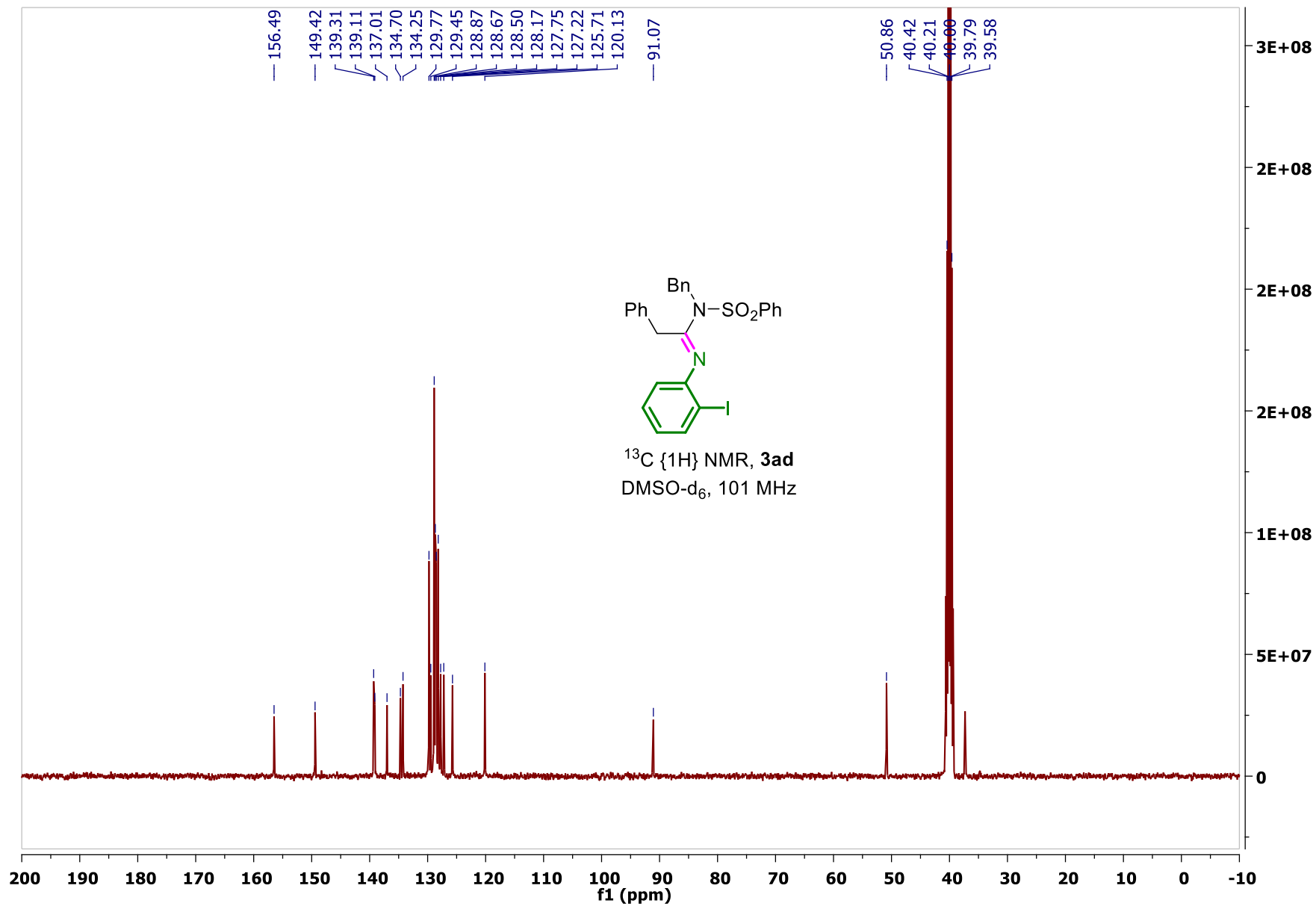


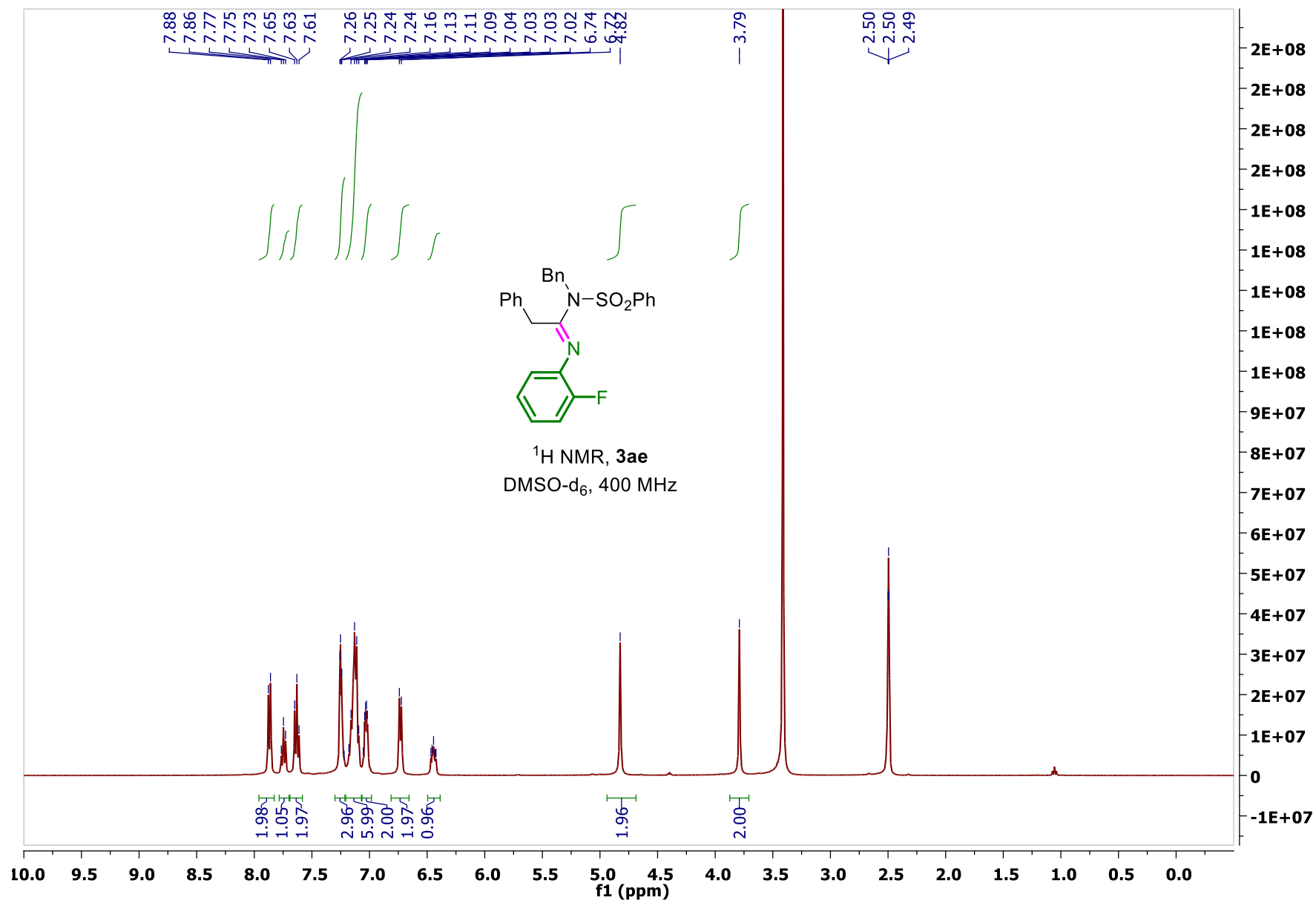


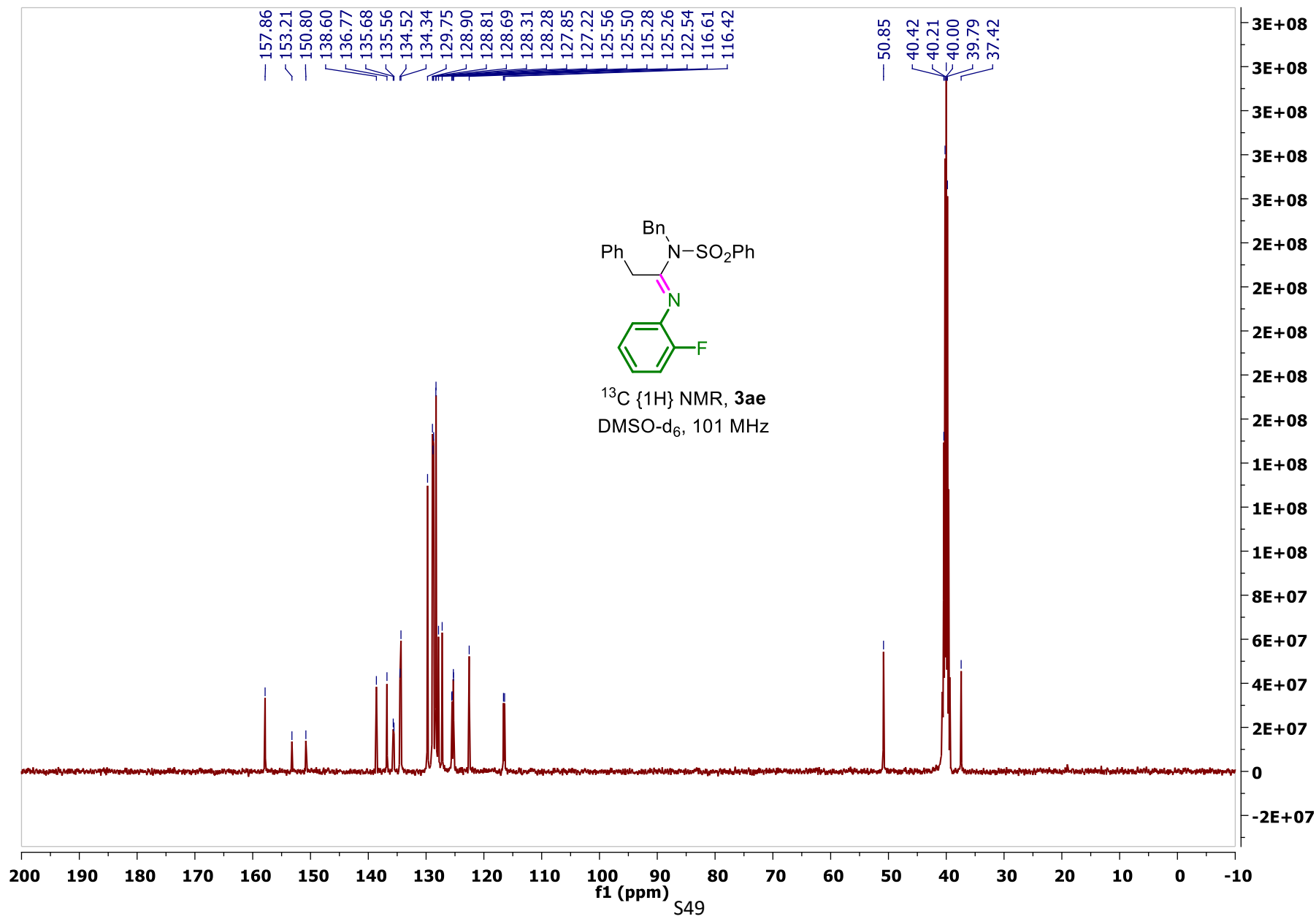


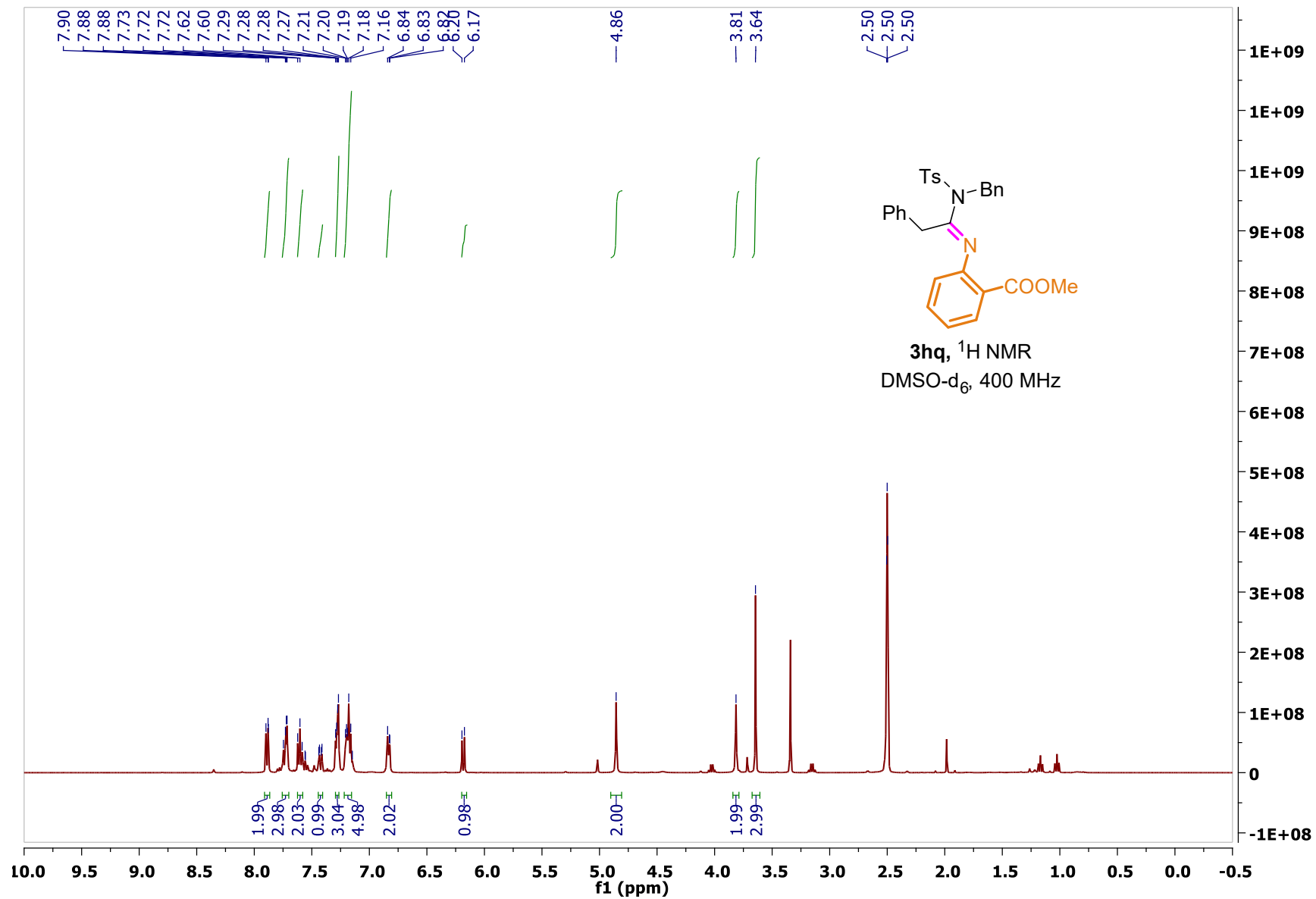


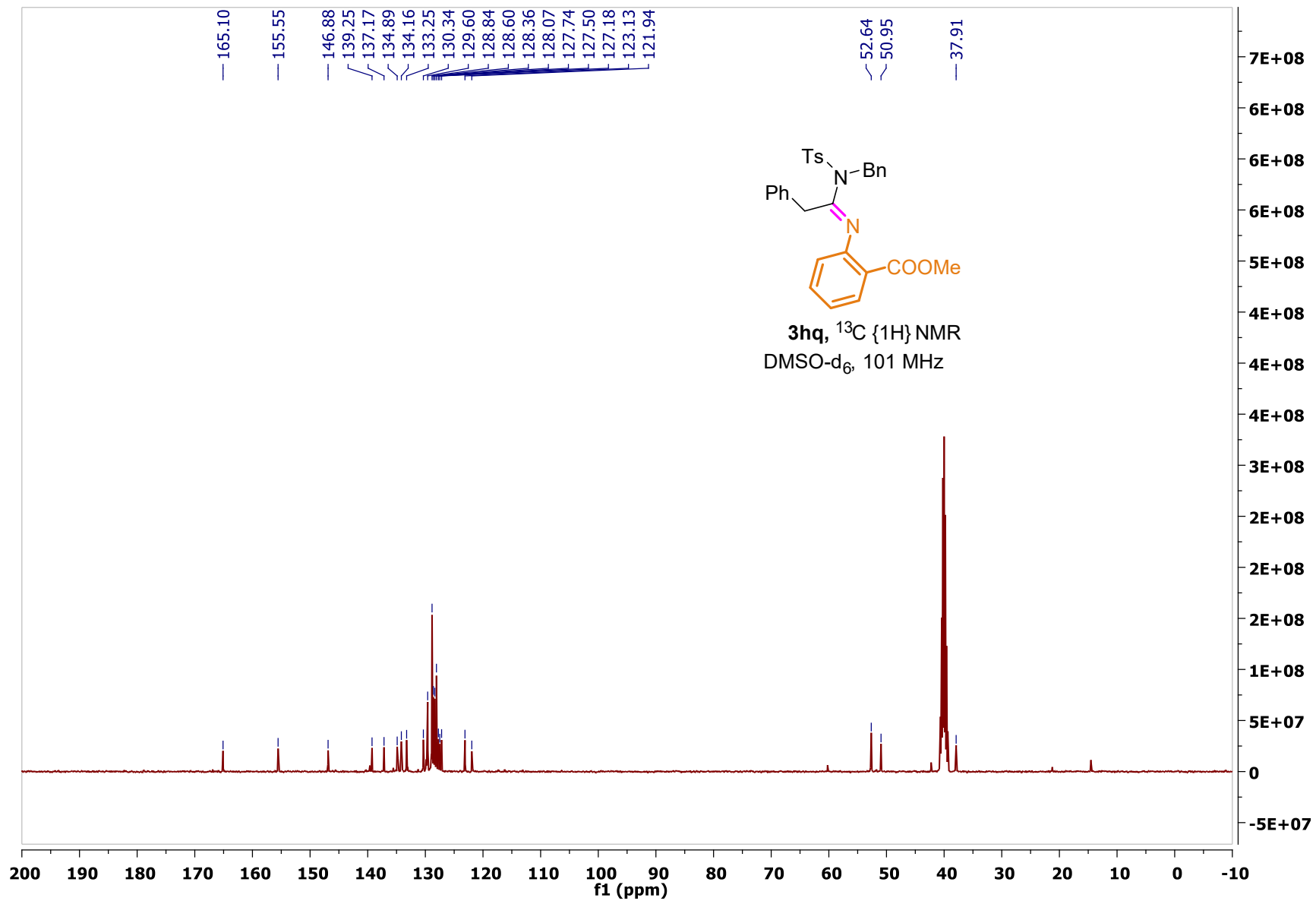


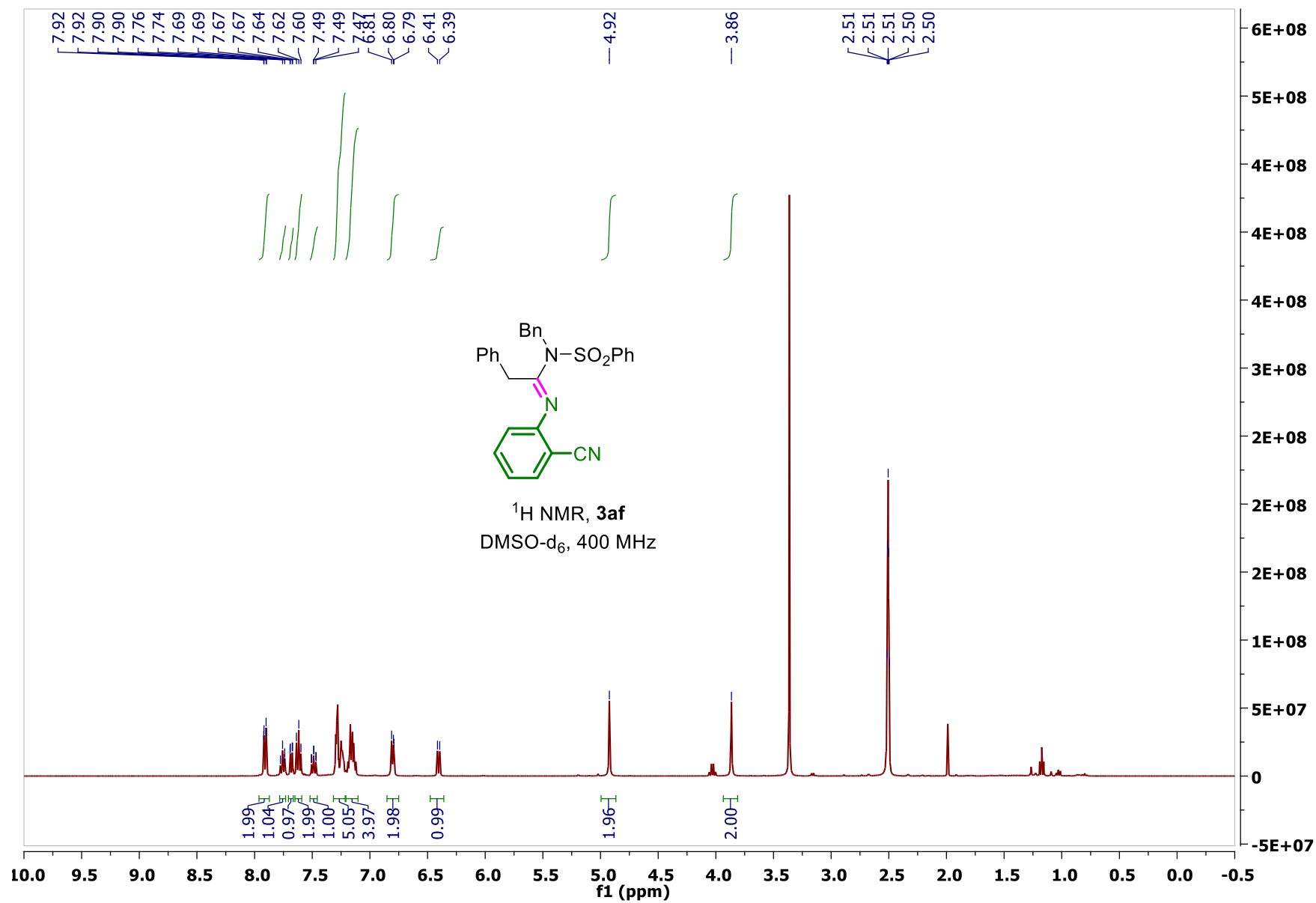


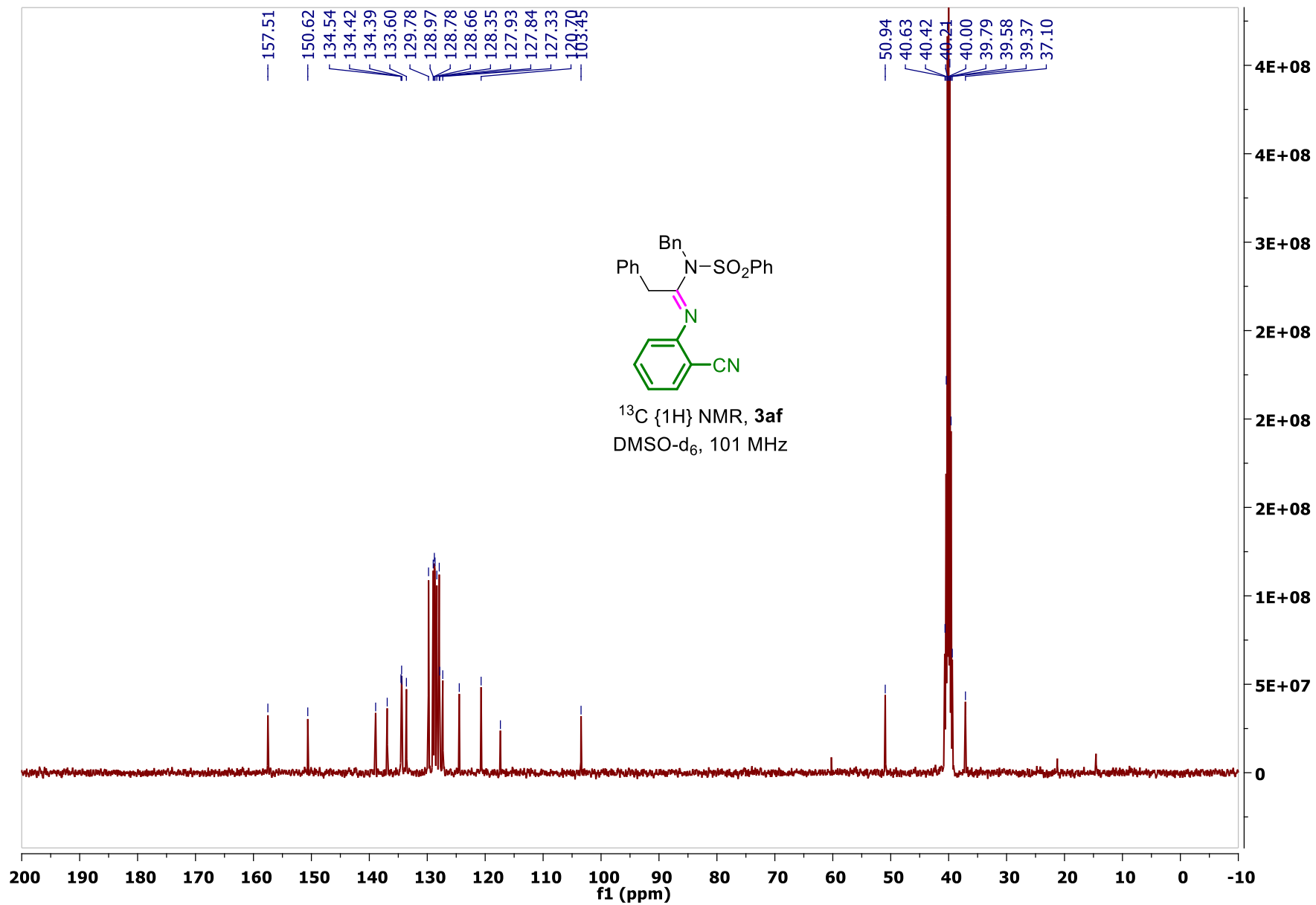




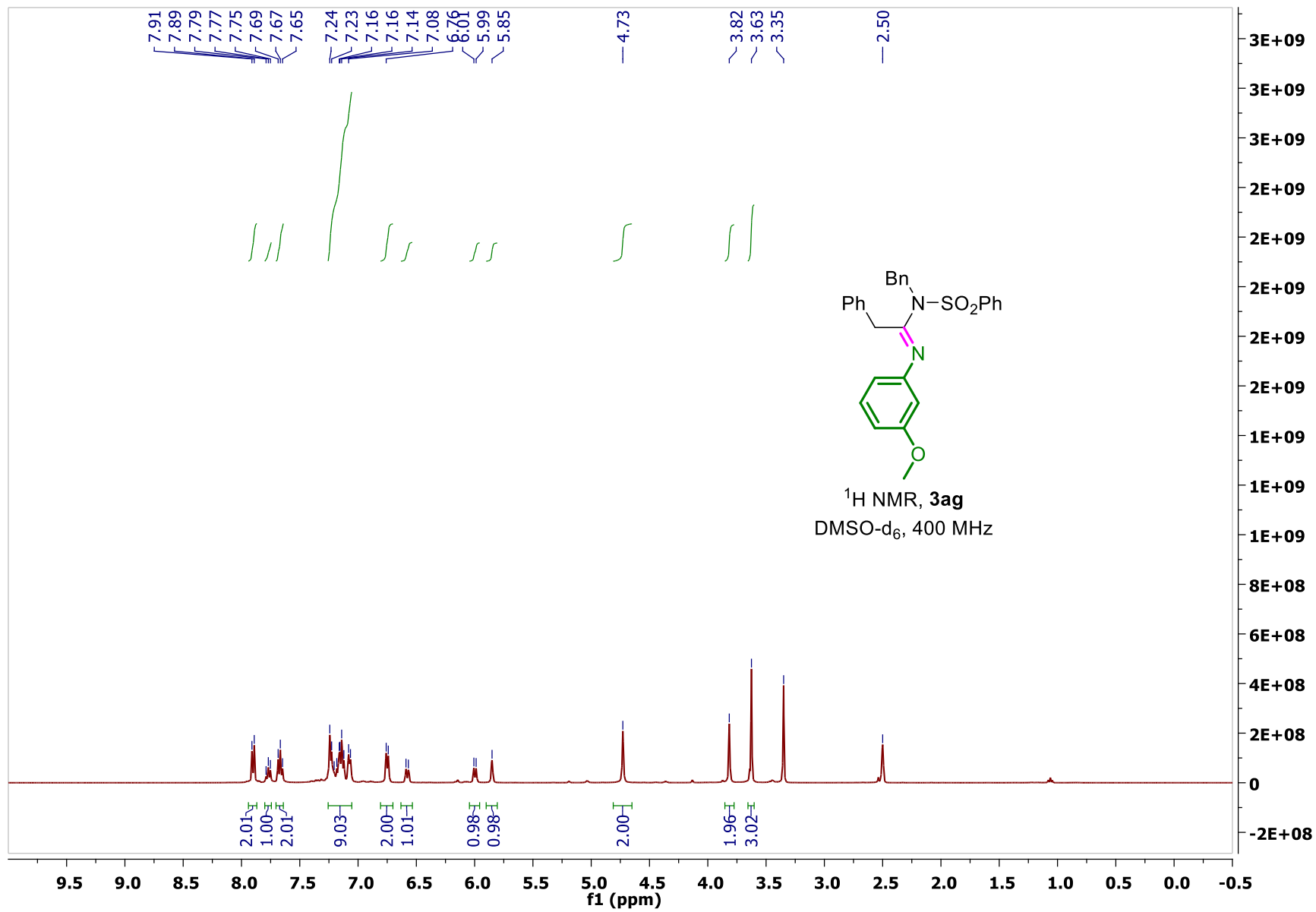


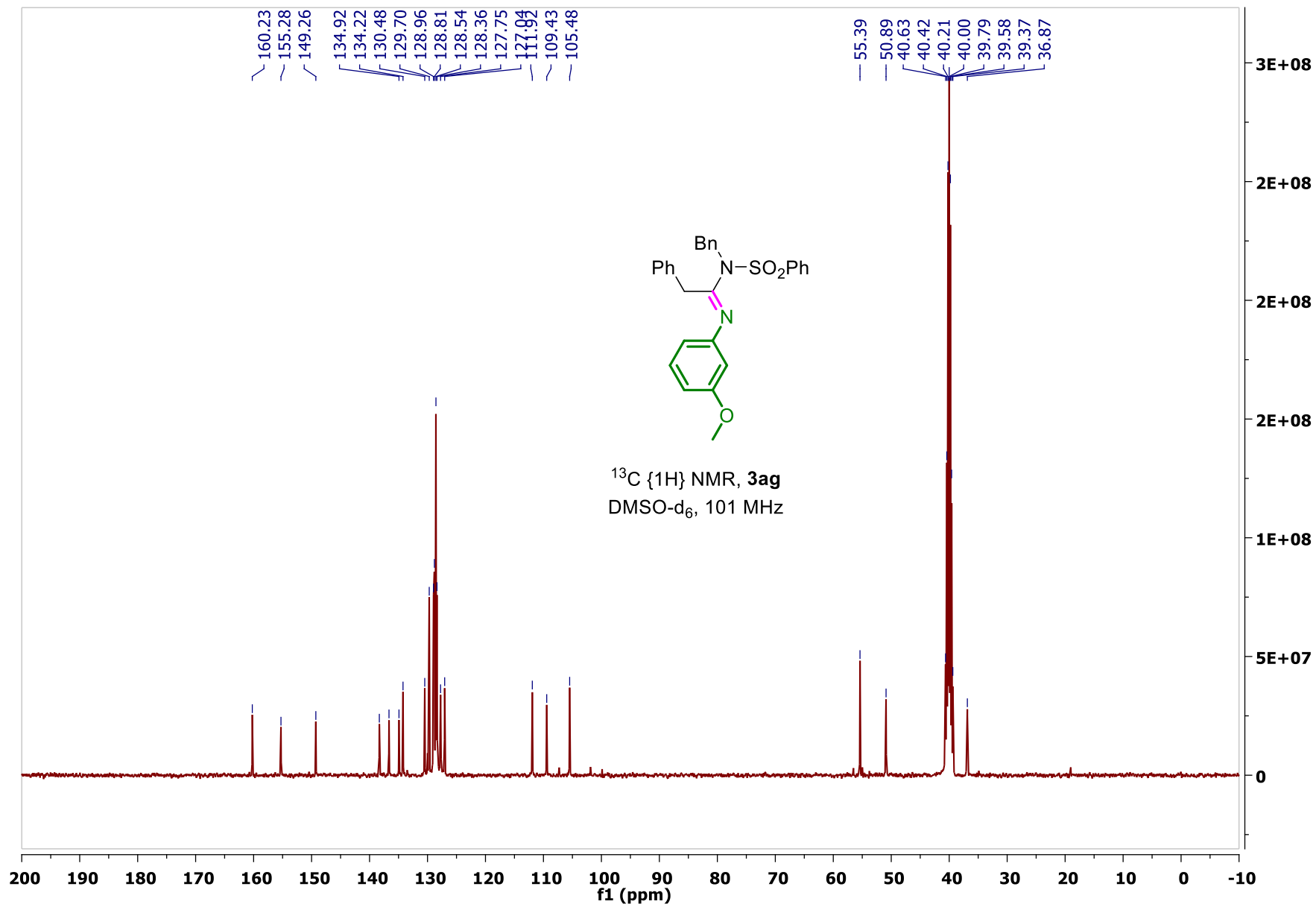


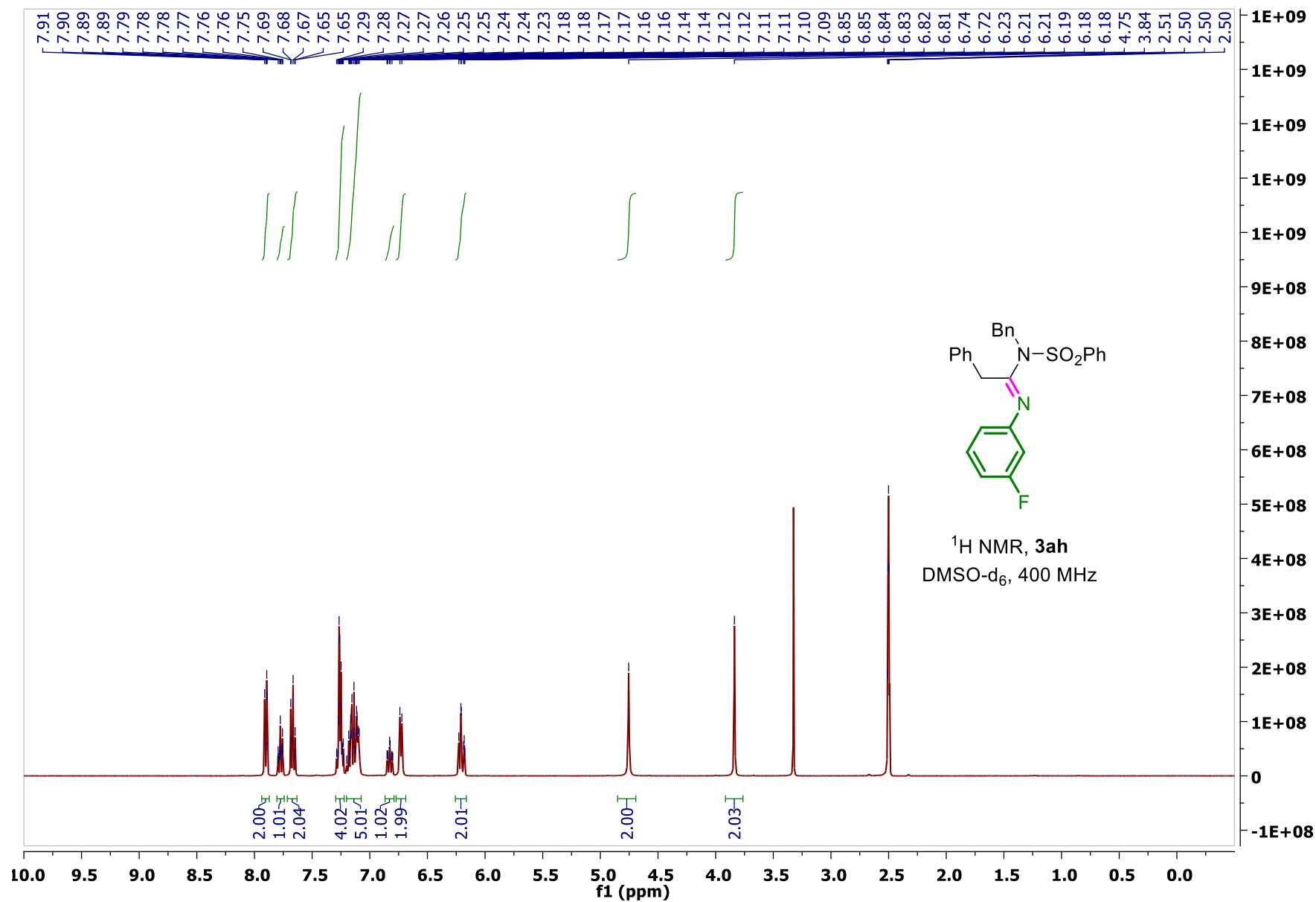


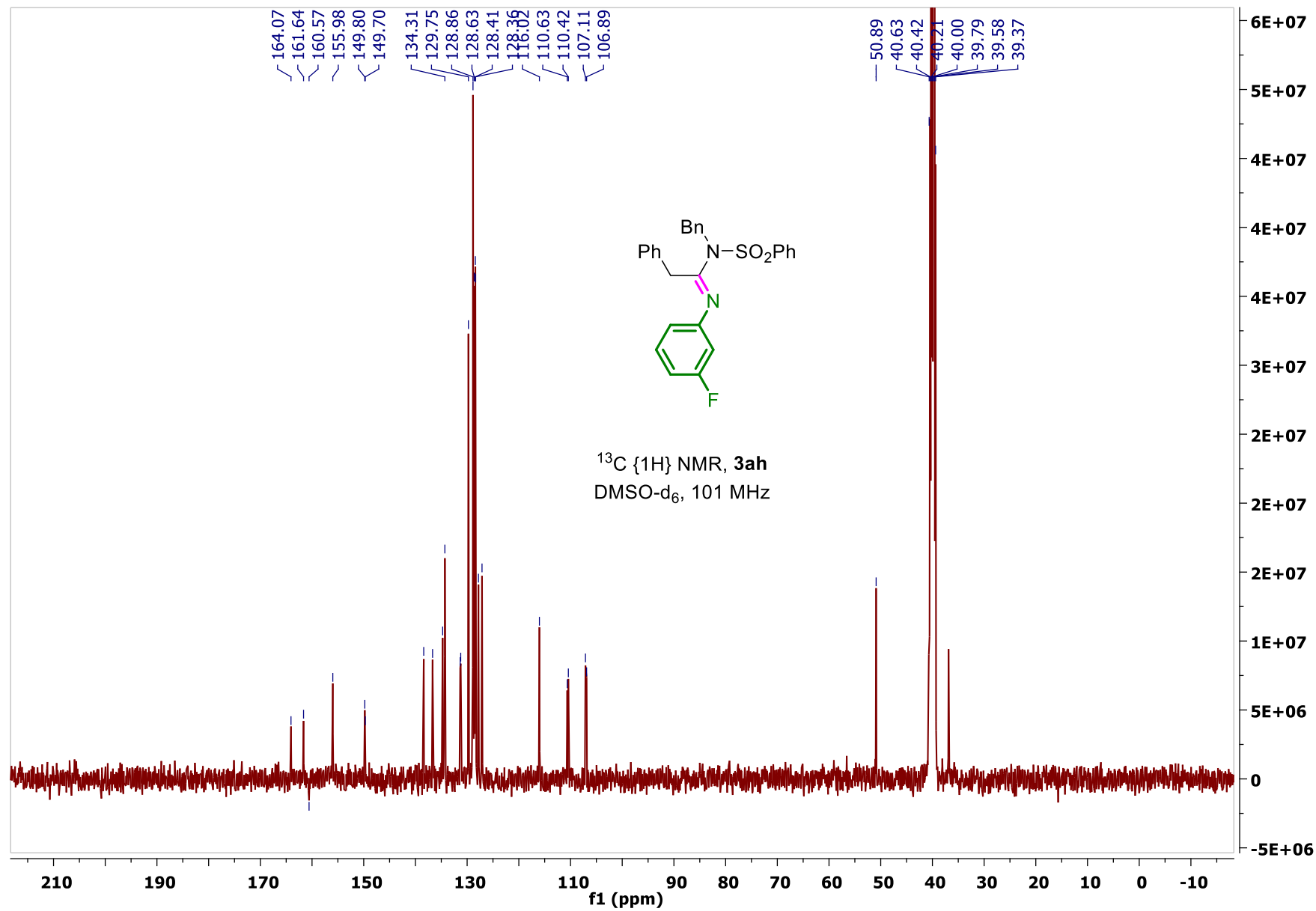


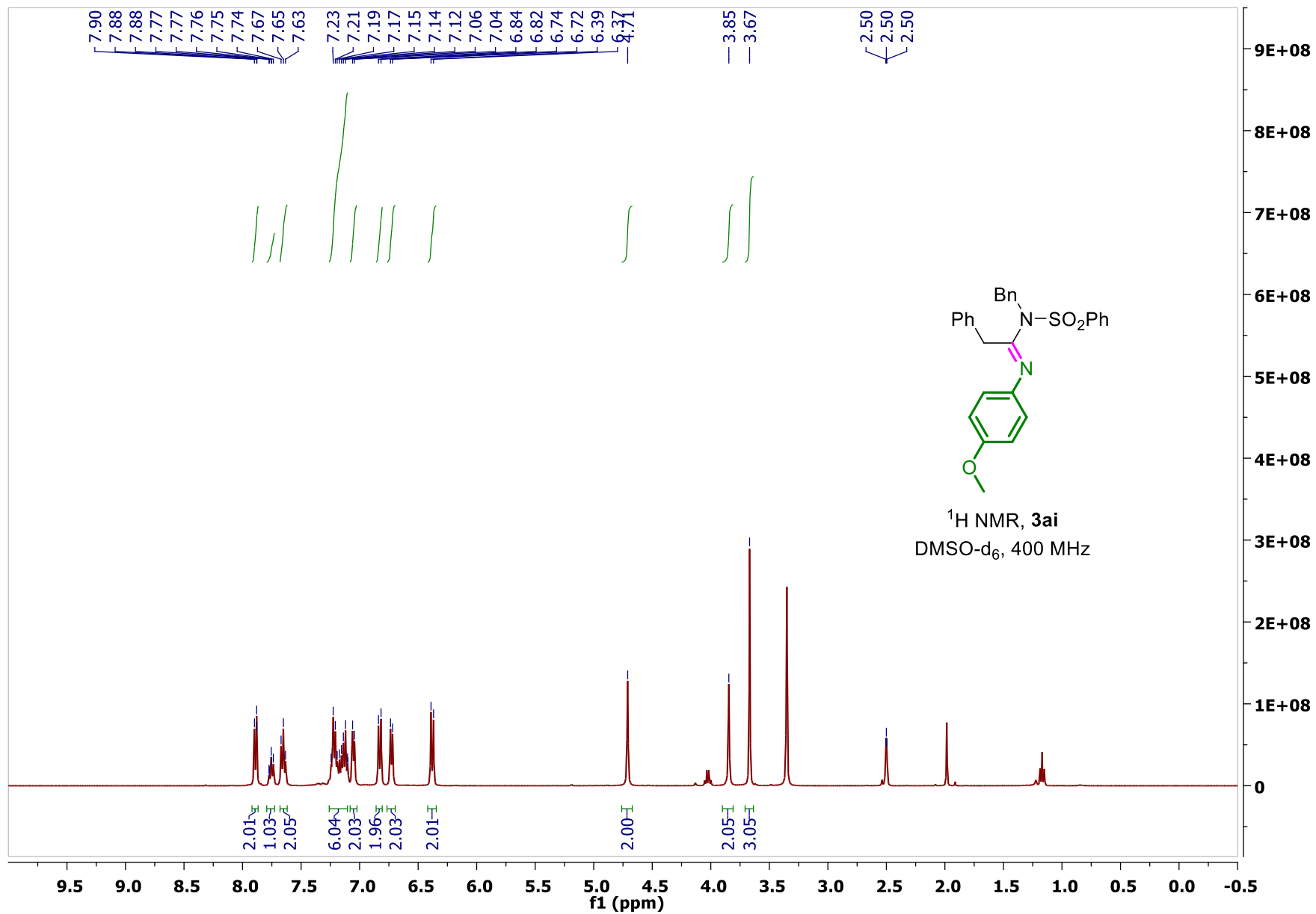
S53

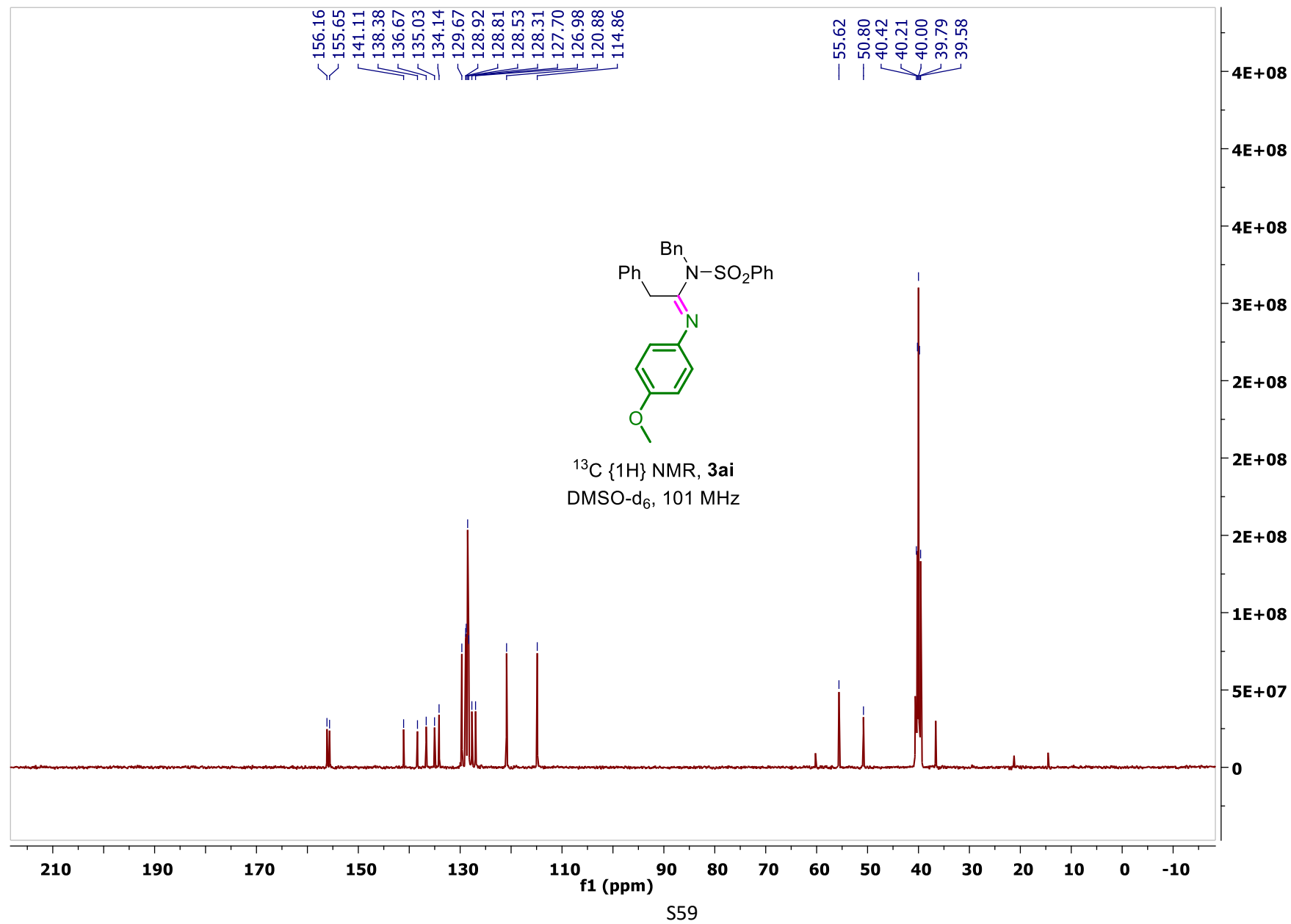


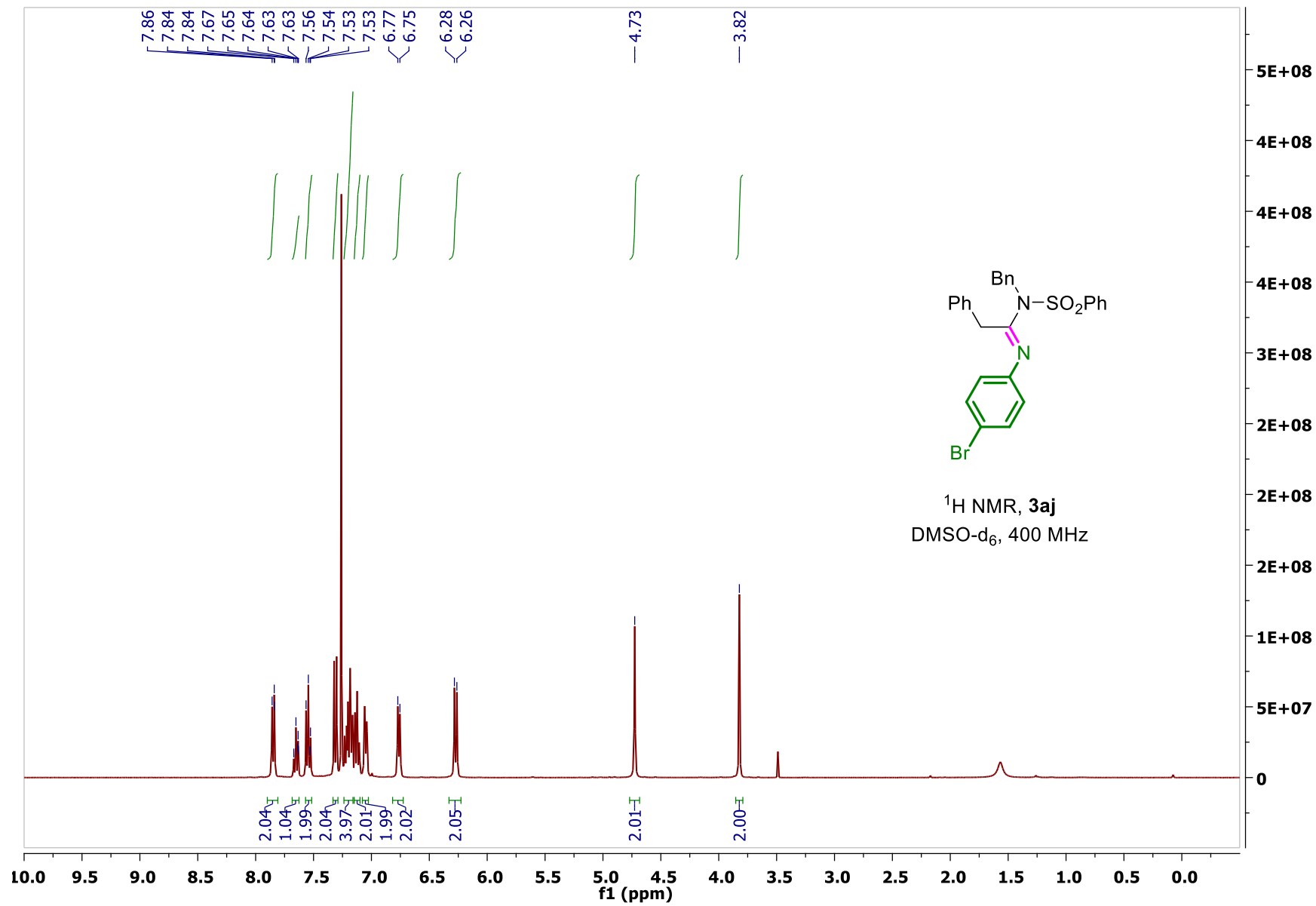


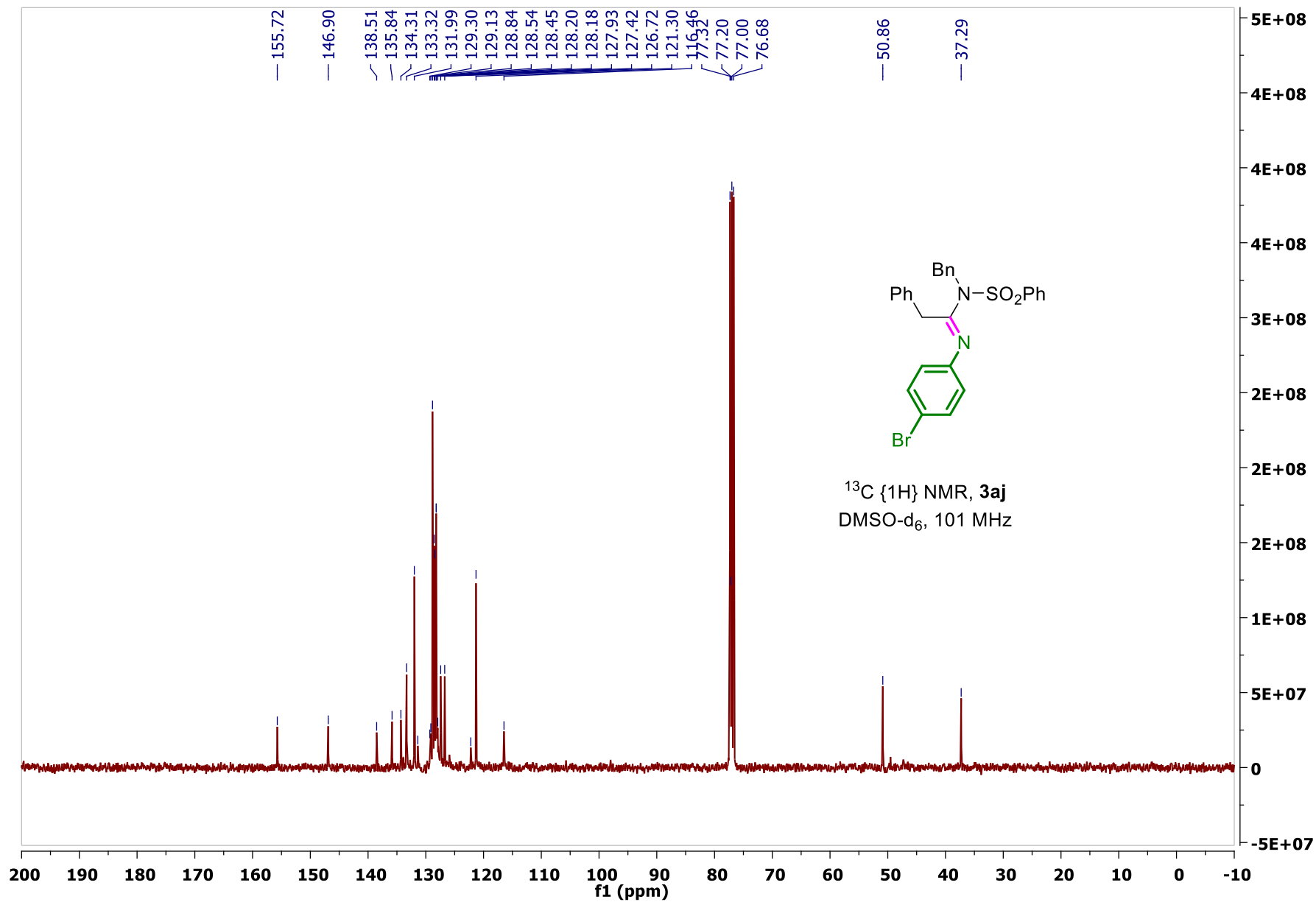


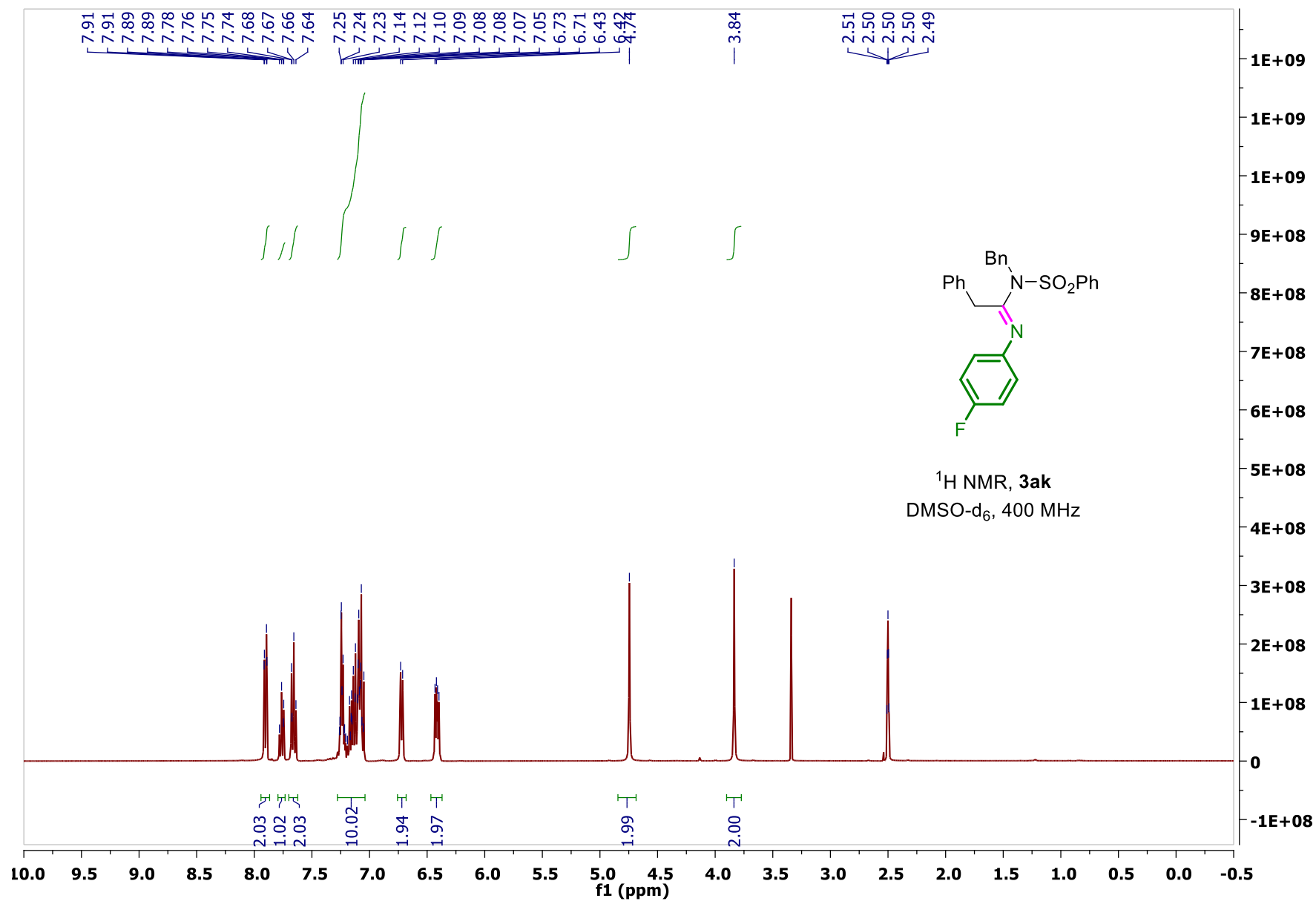


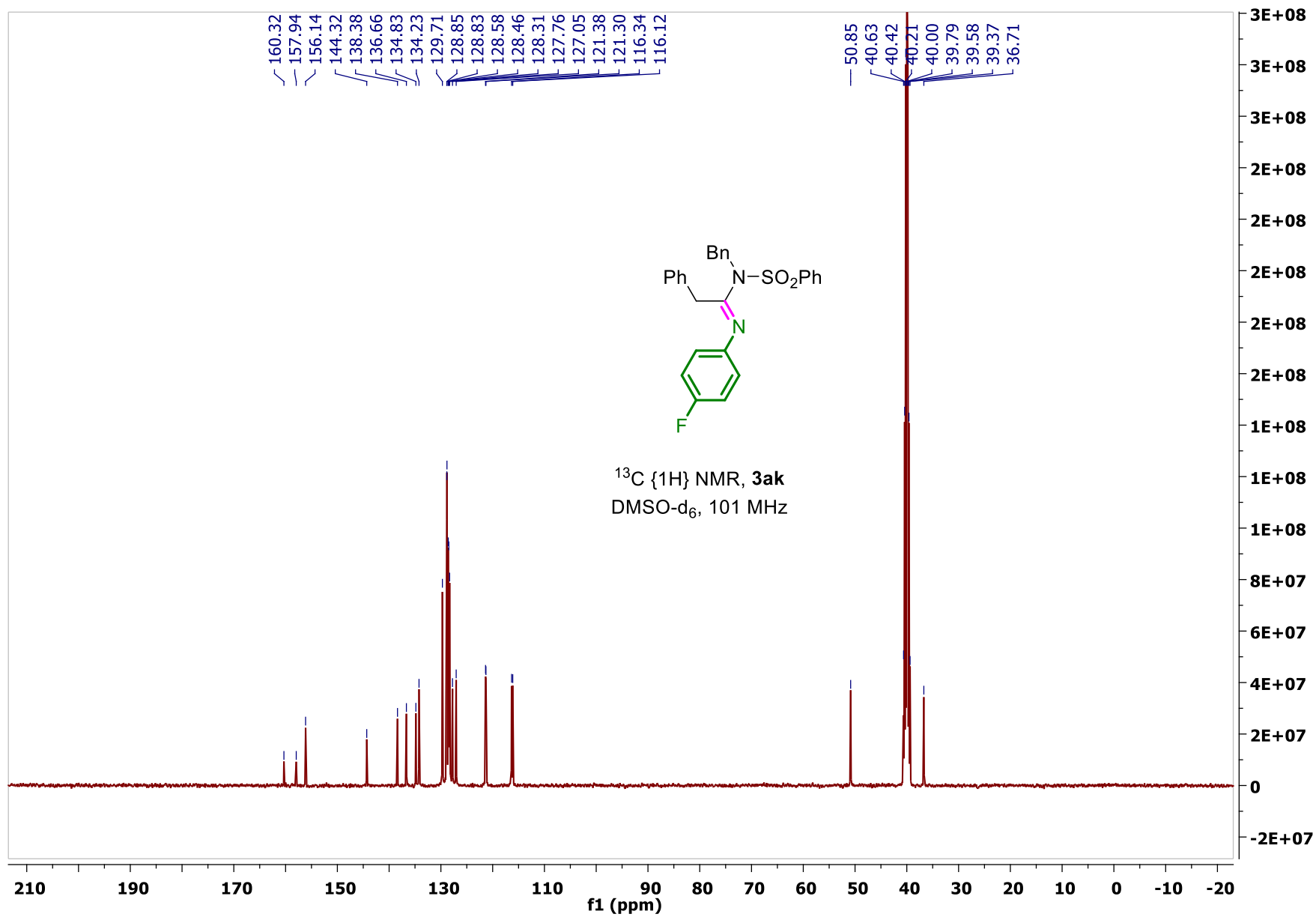


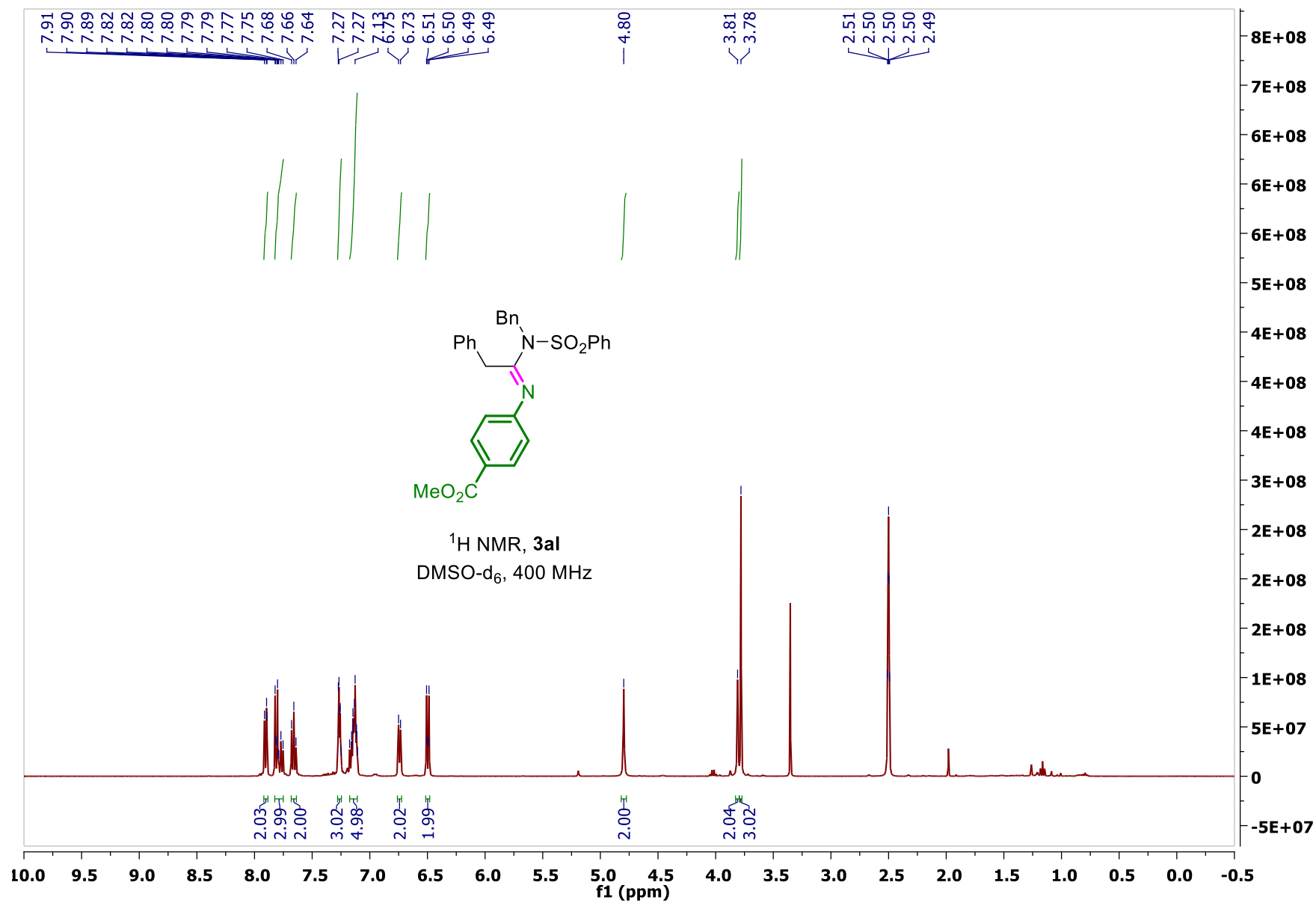


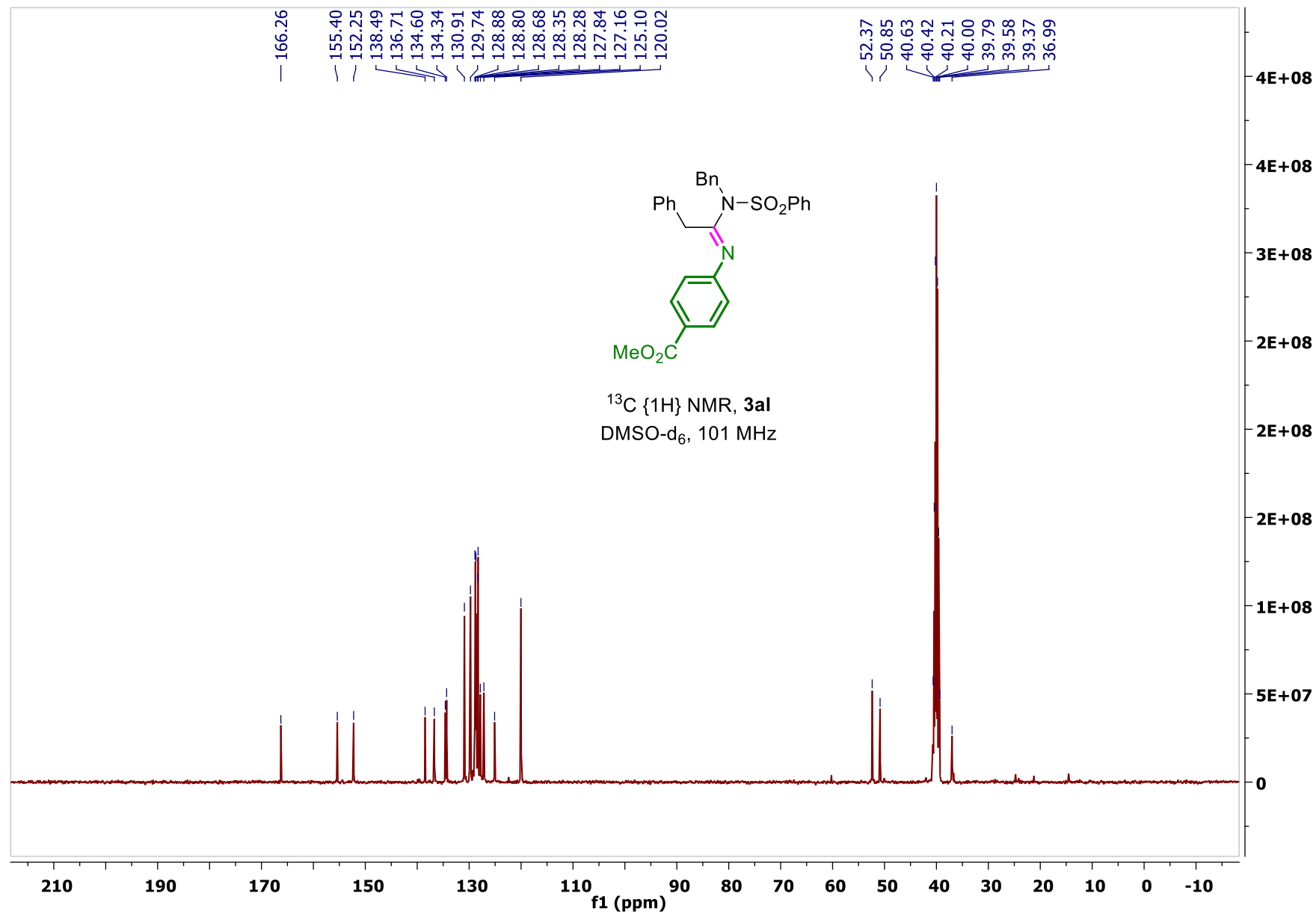


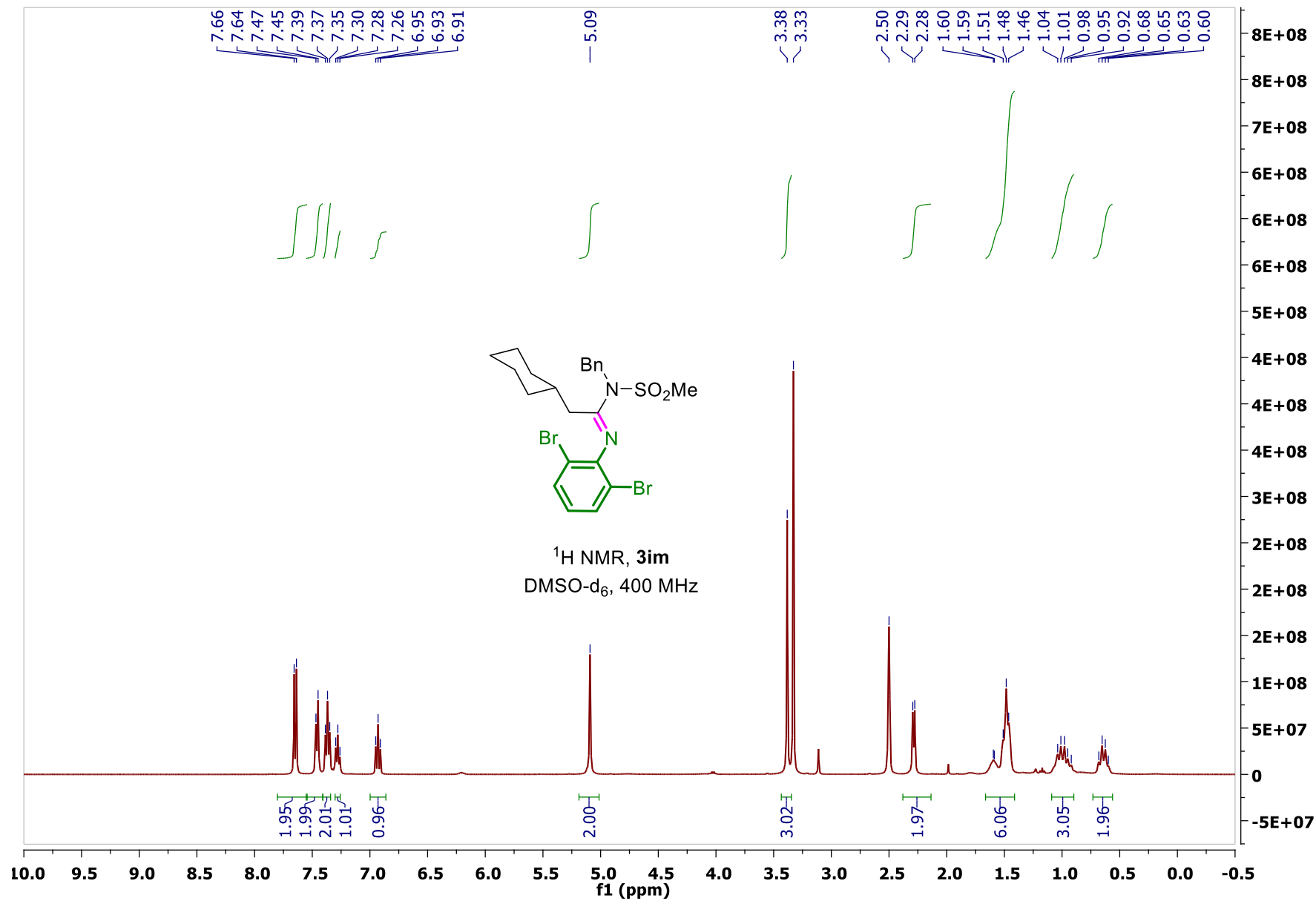


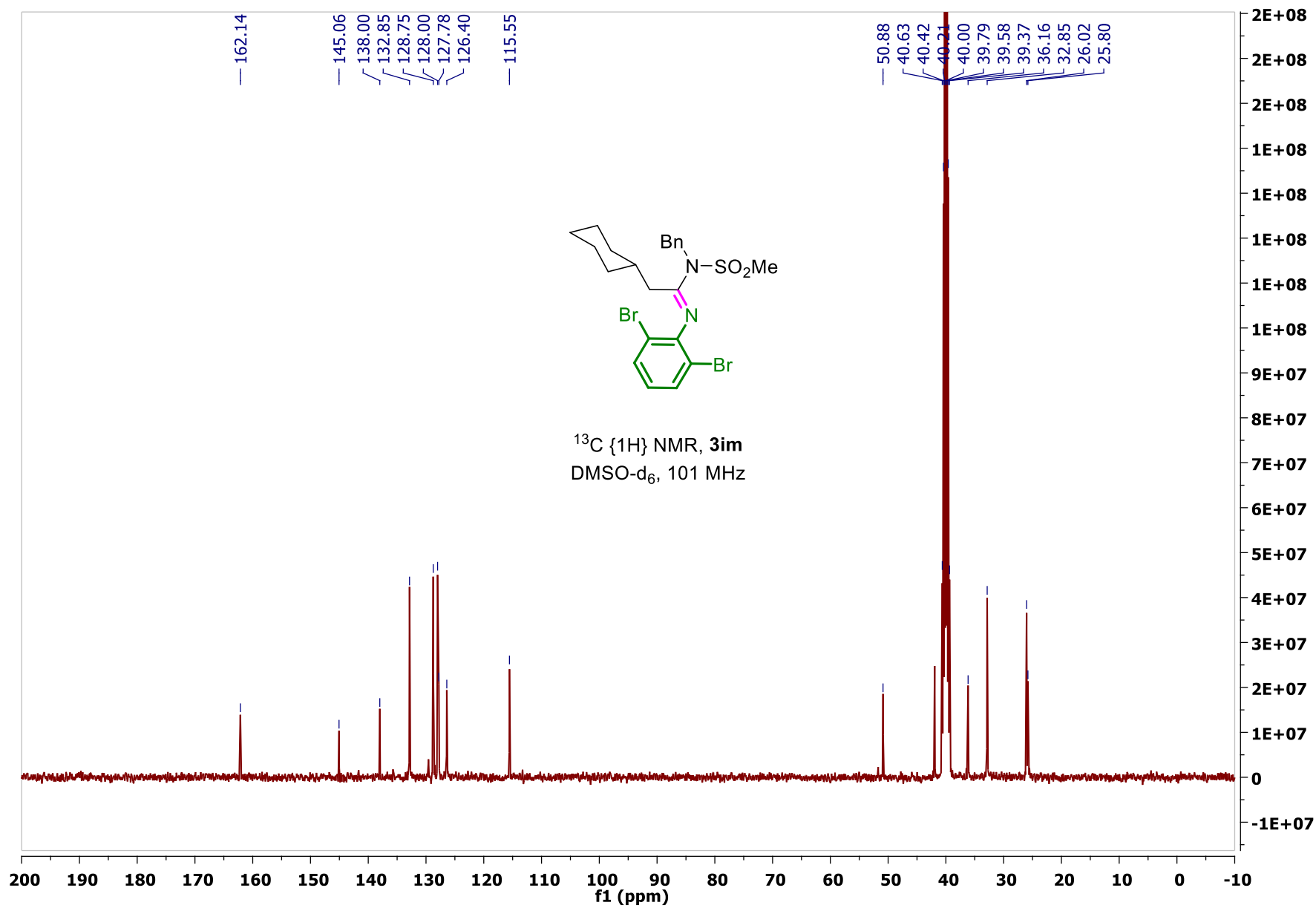


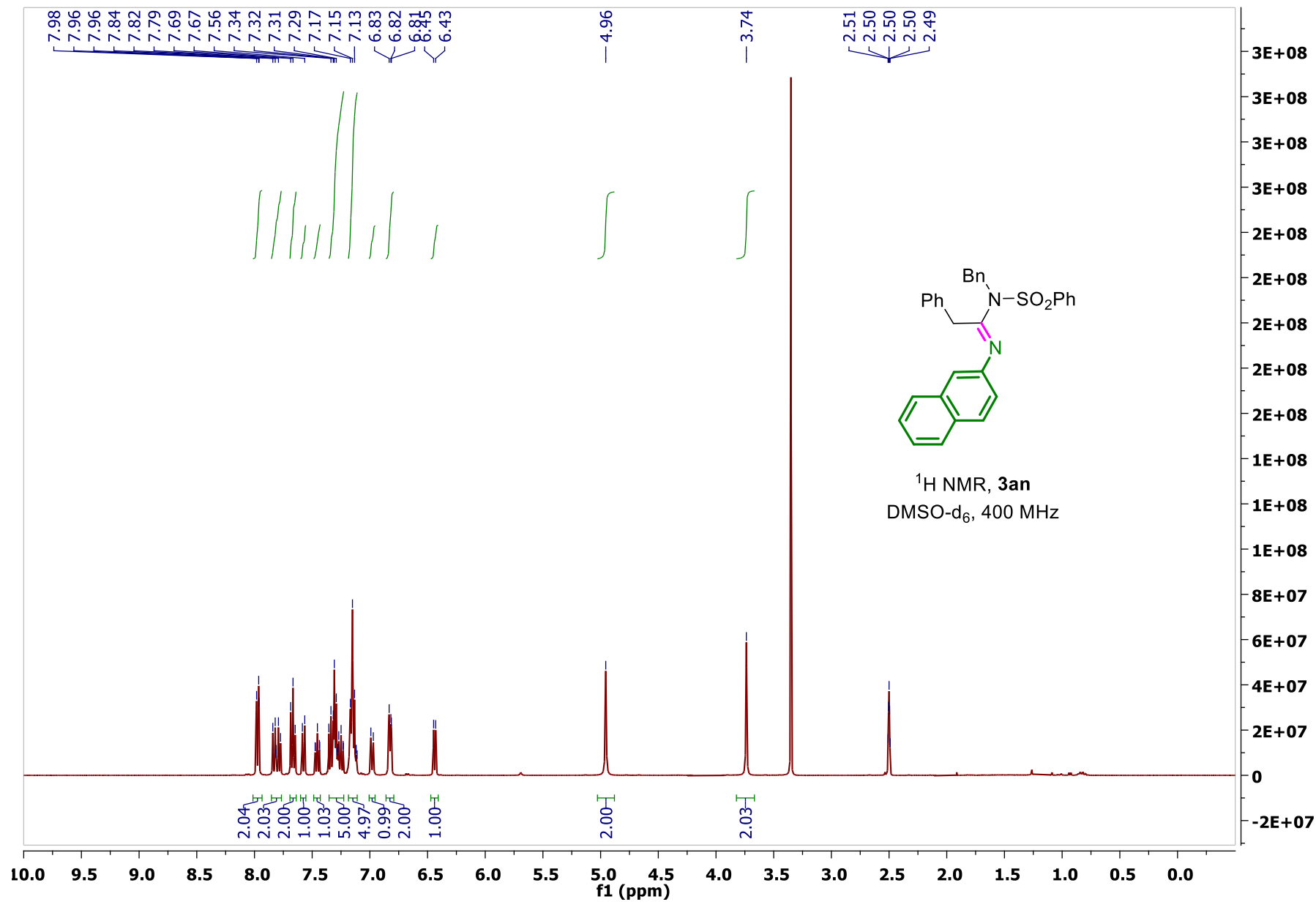


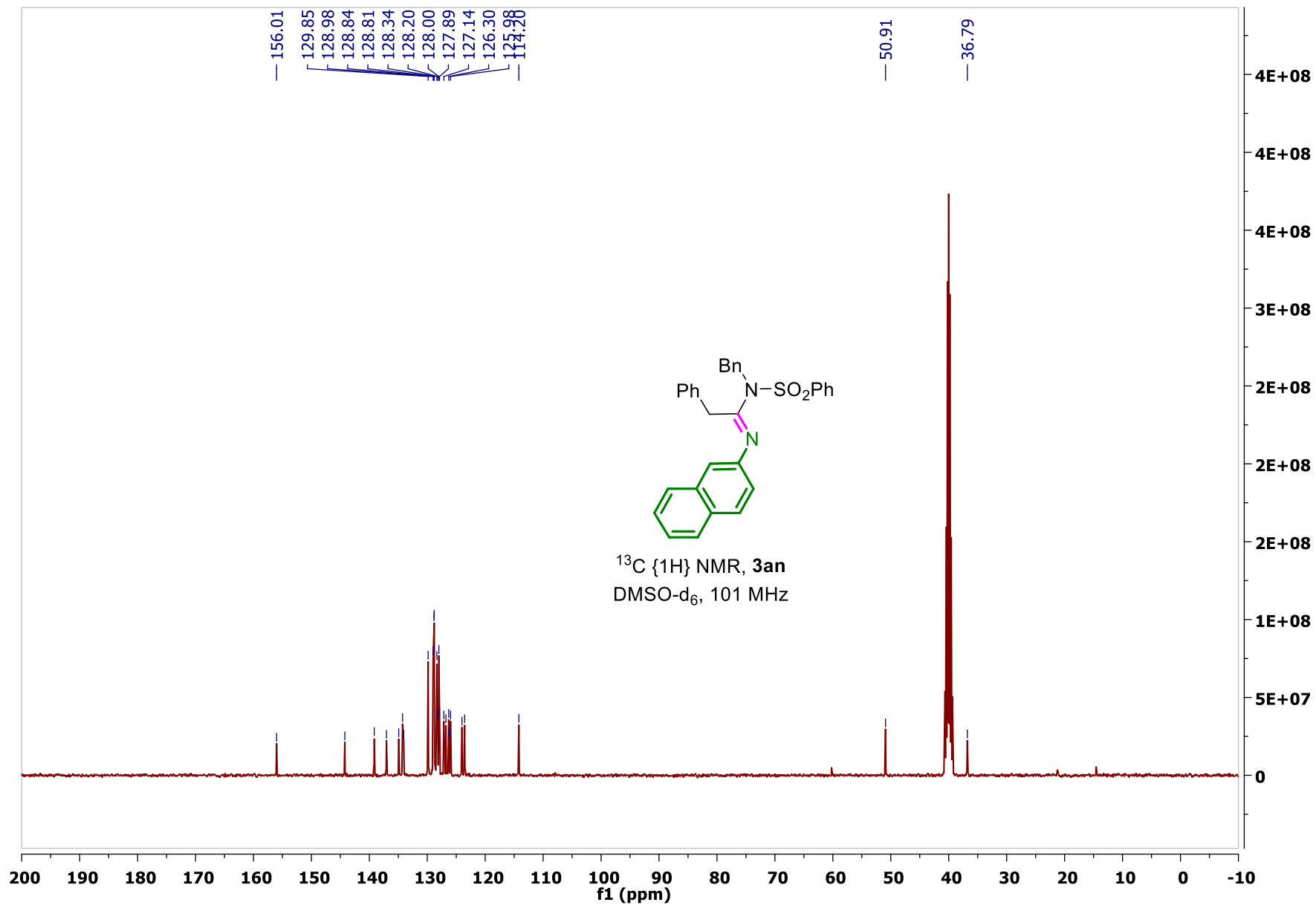


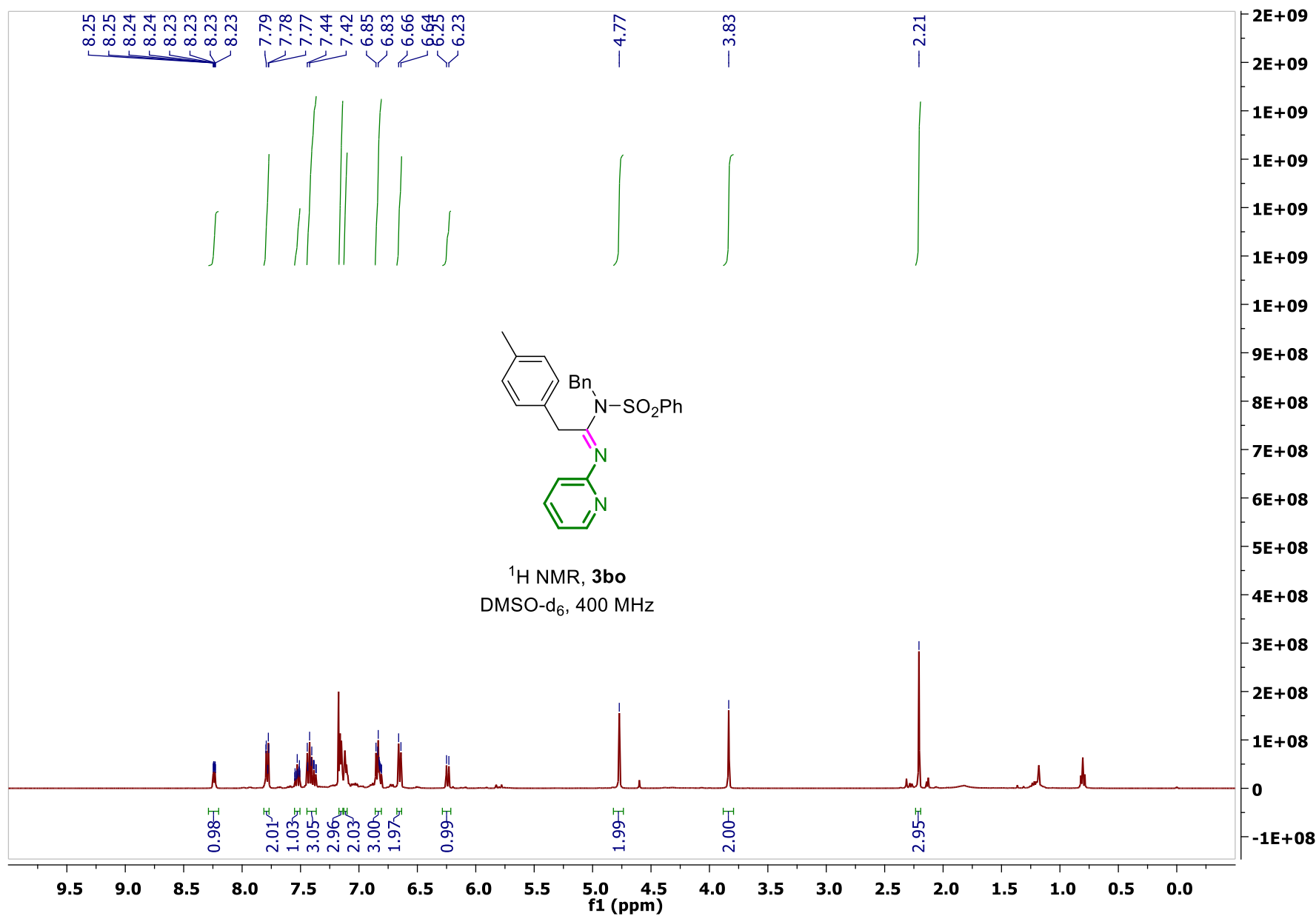


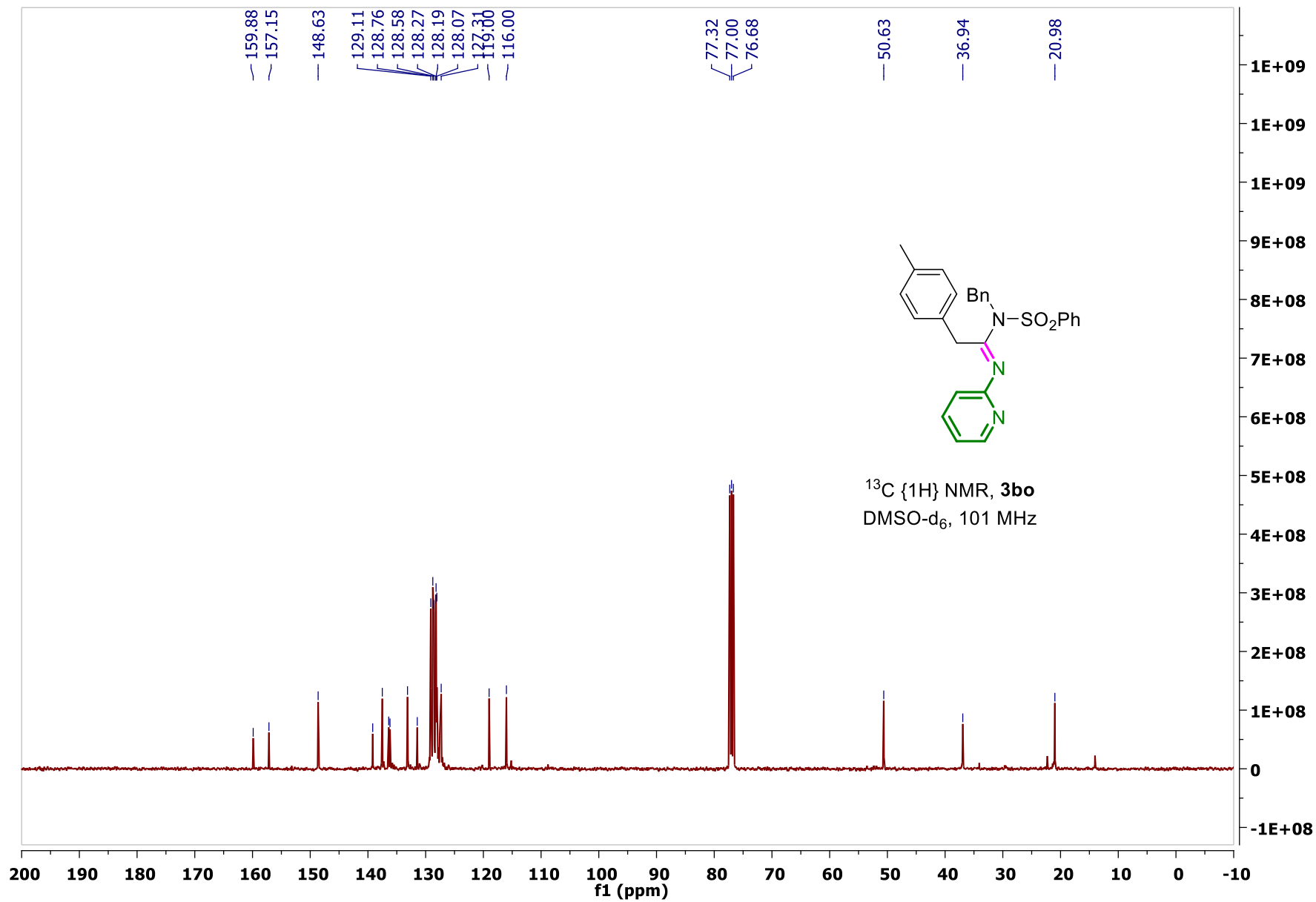


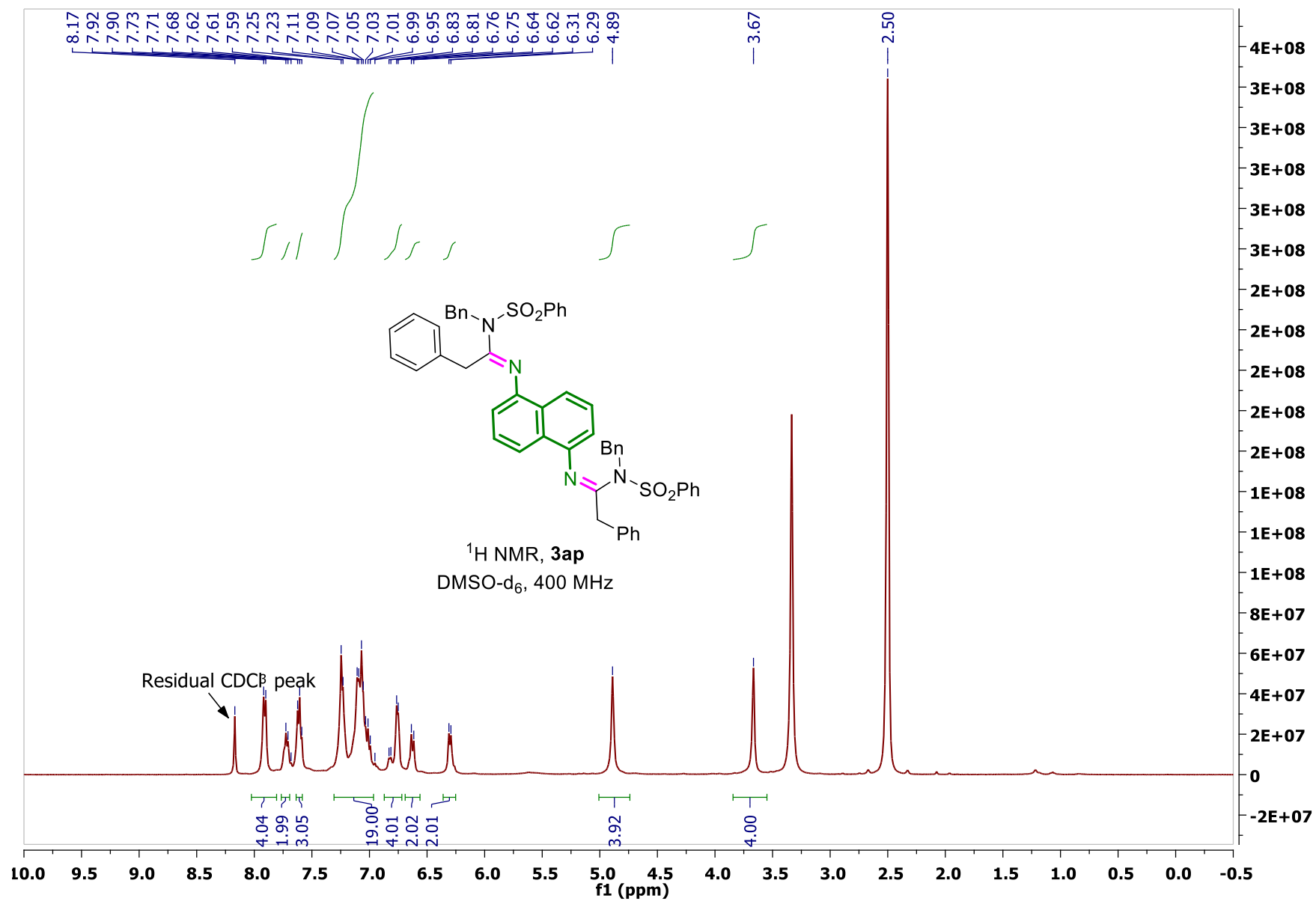


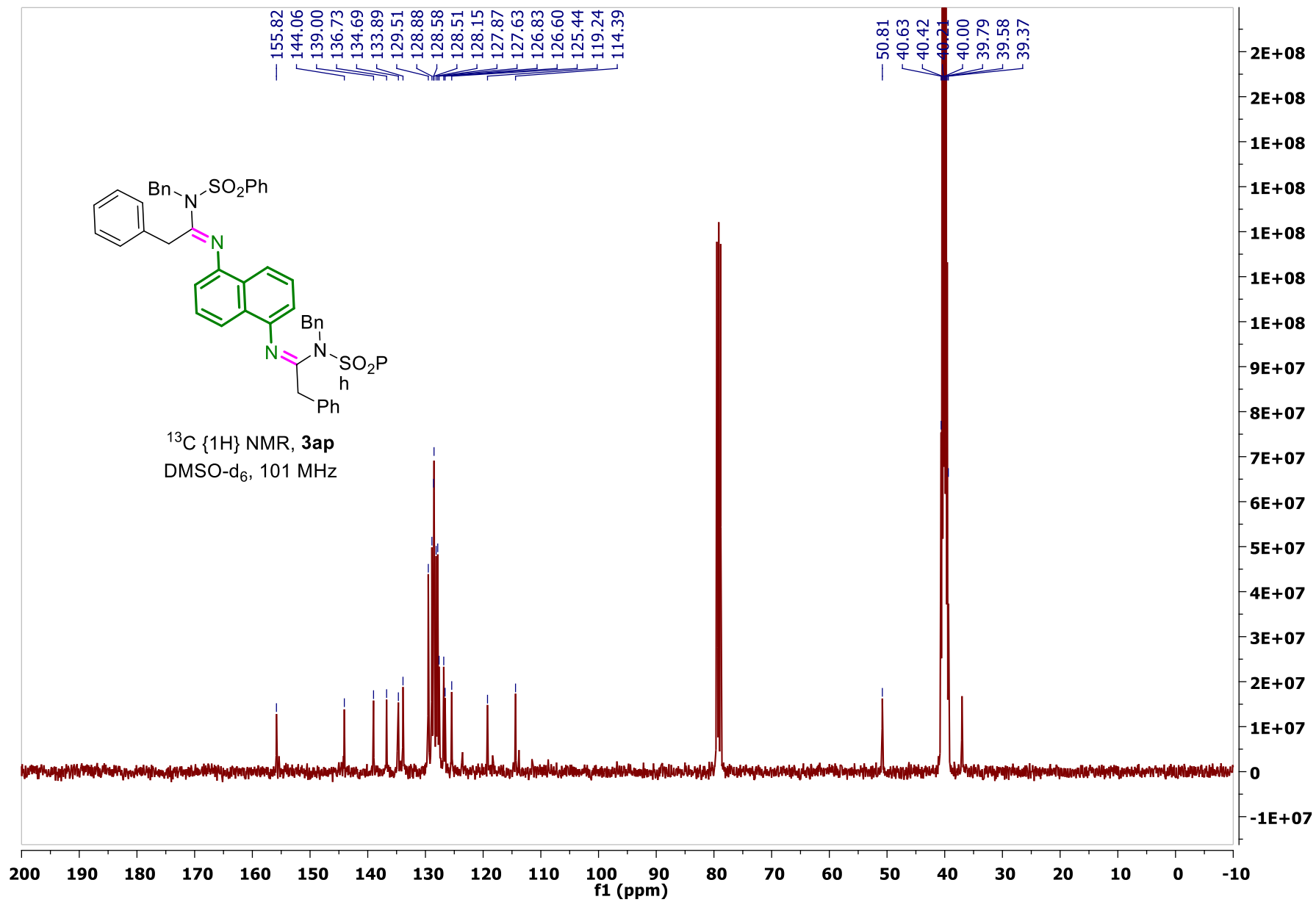


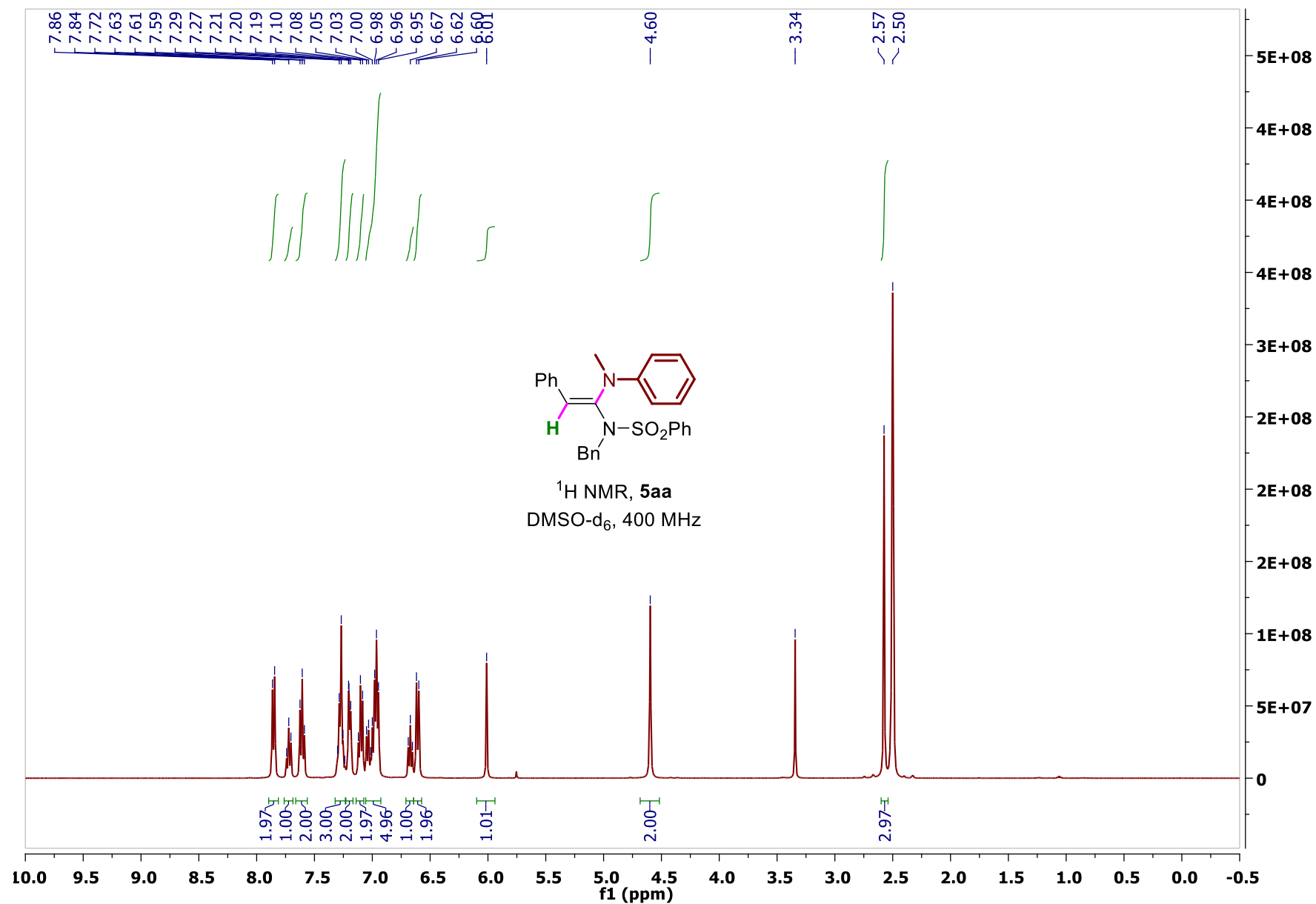


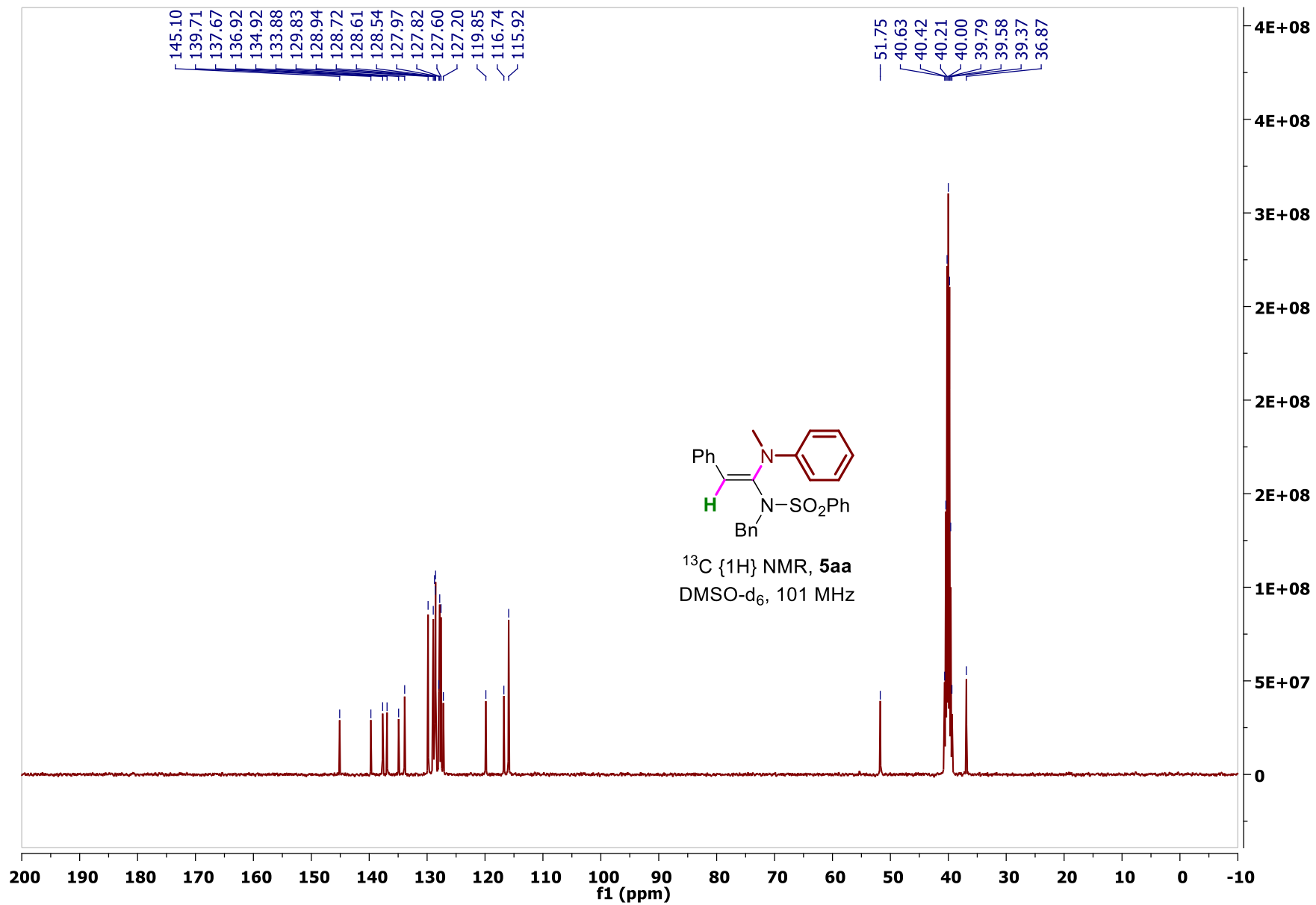


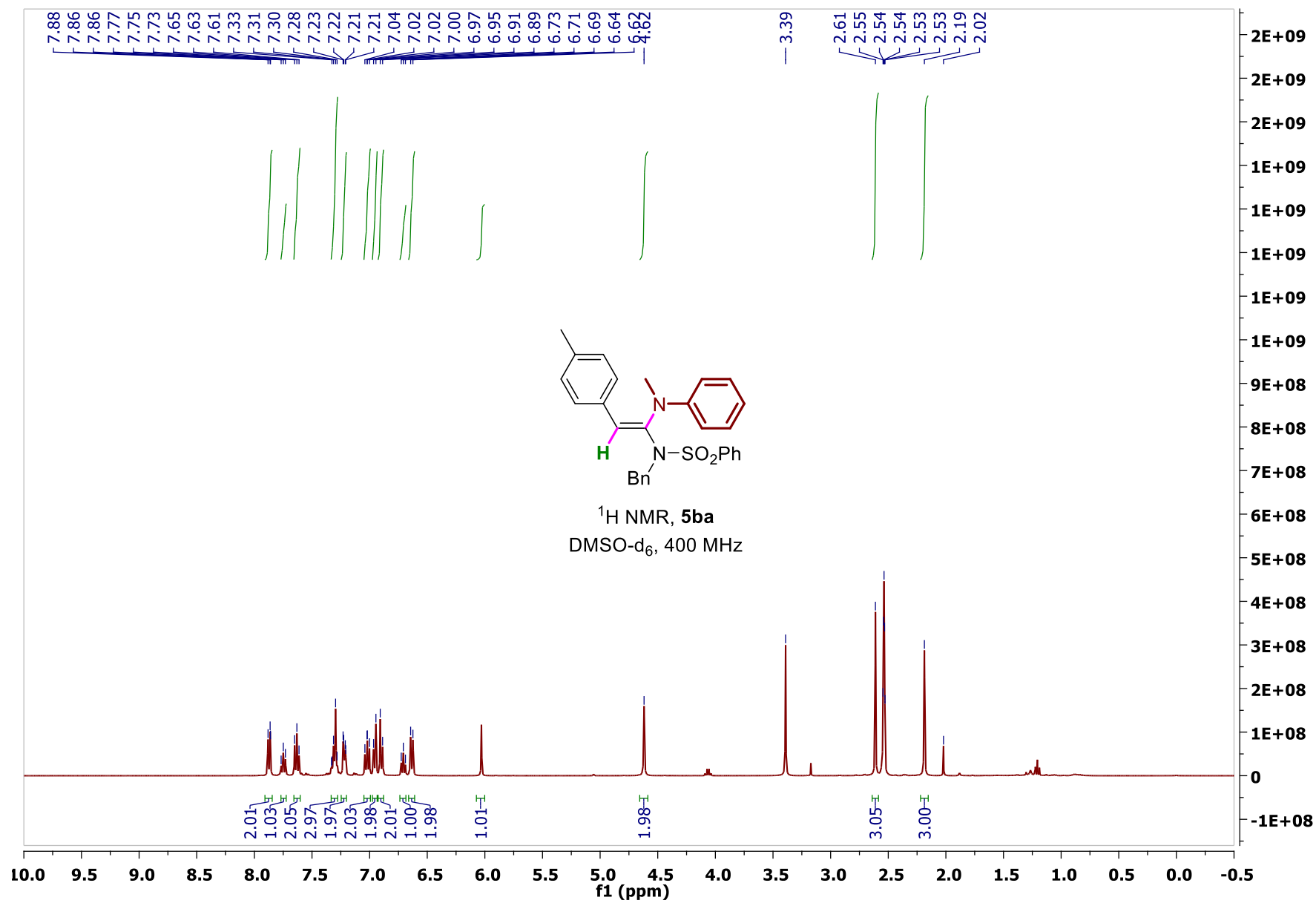


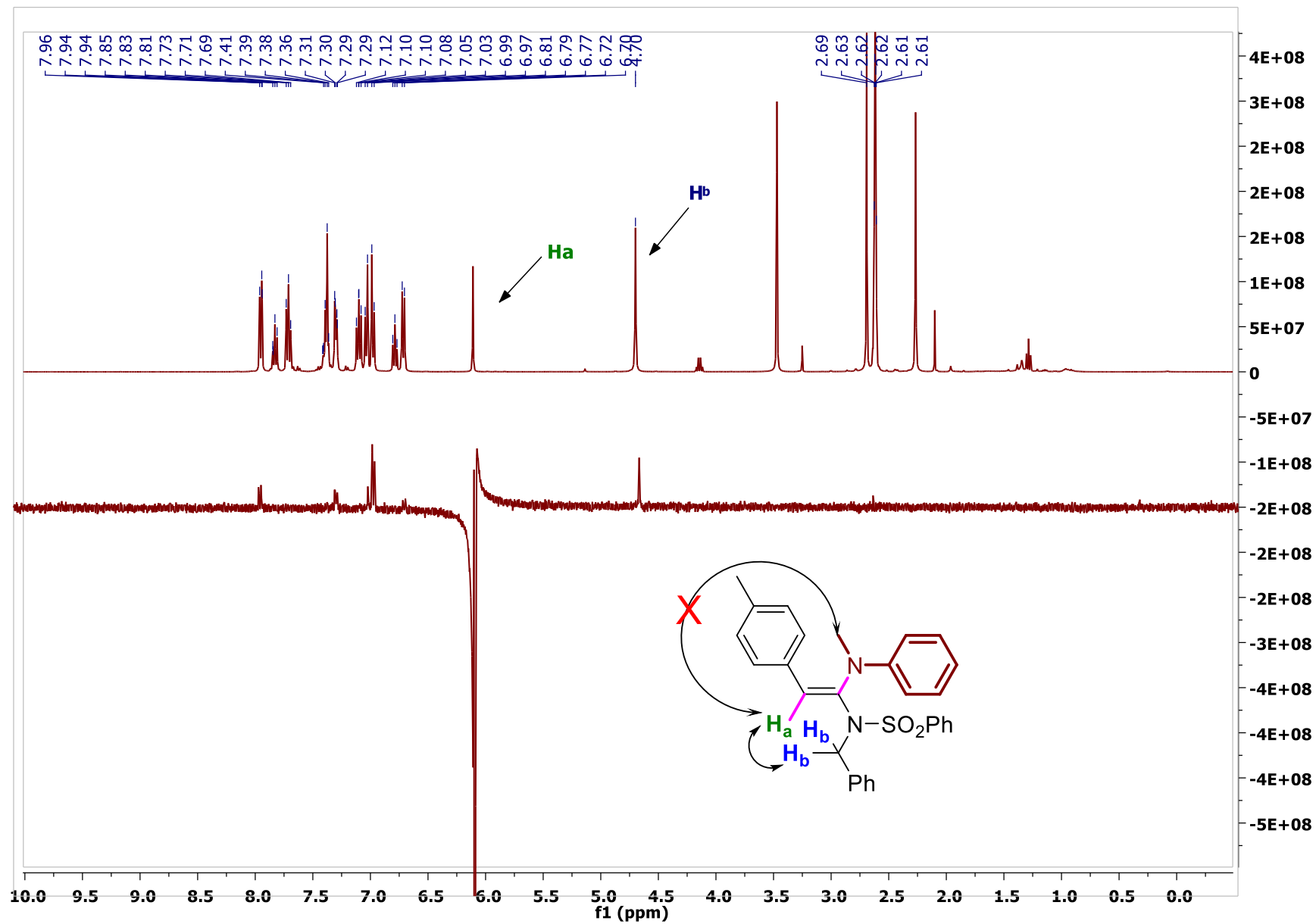


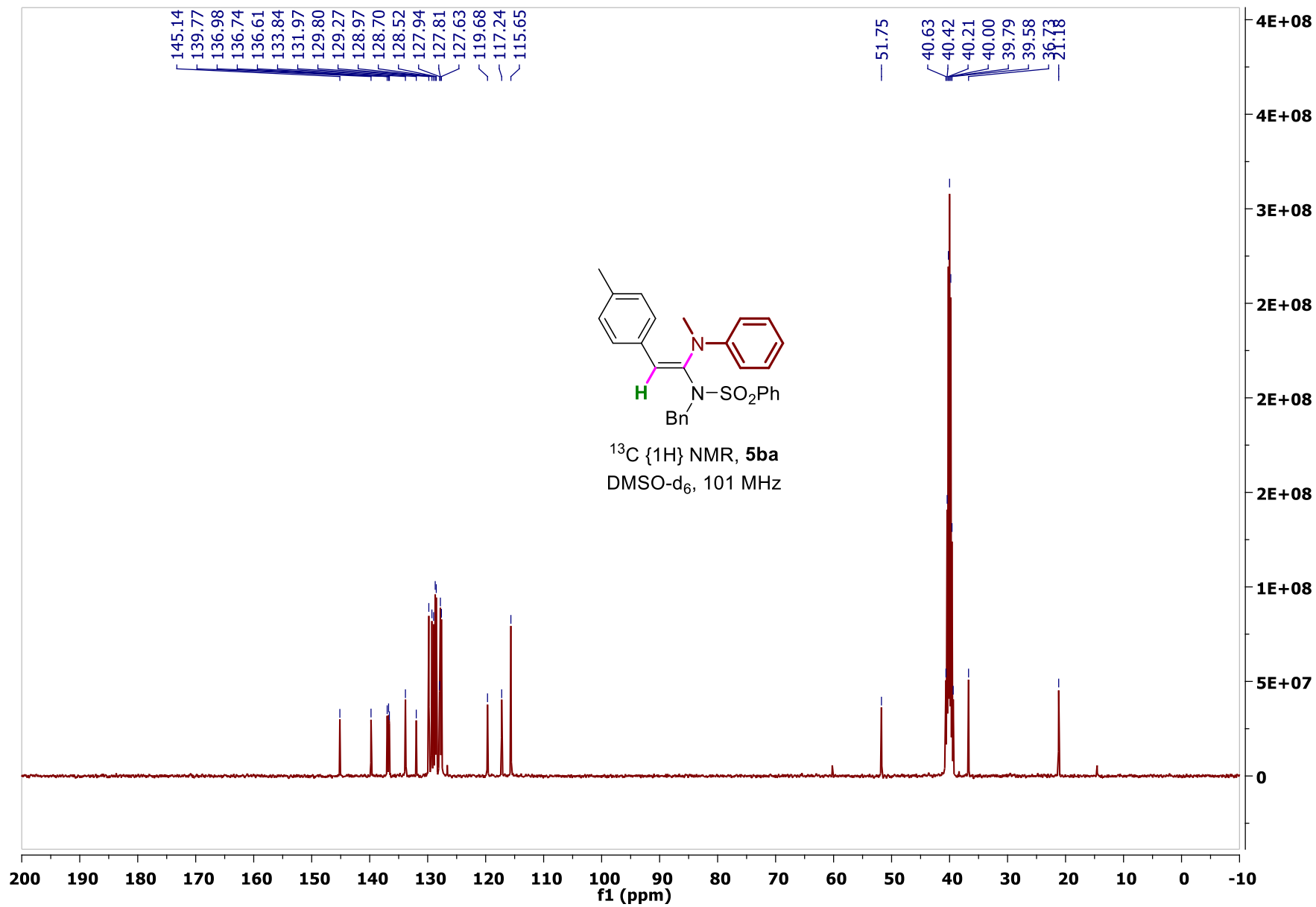


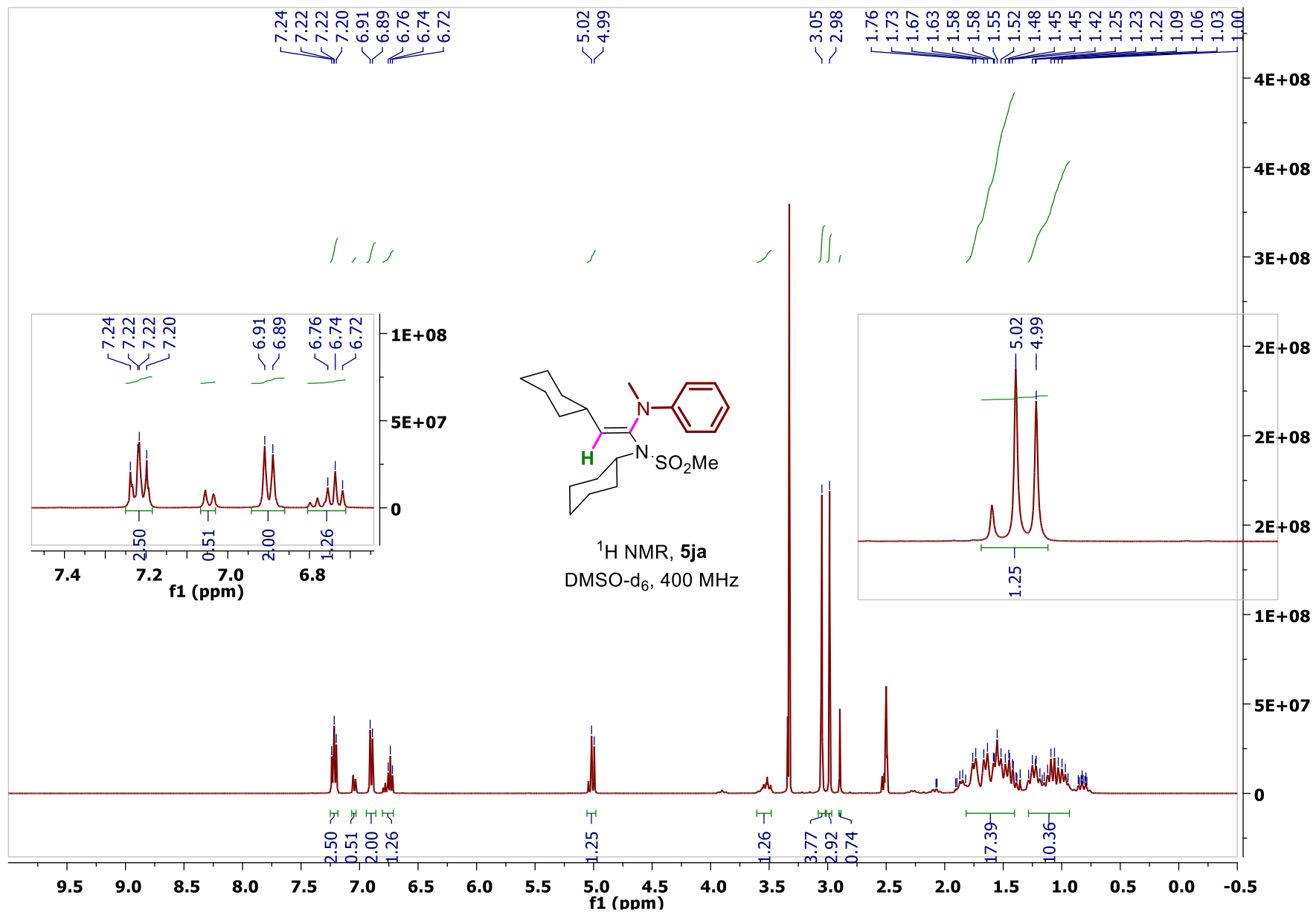


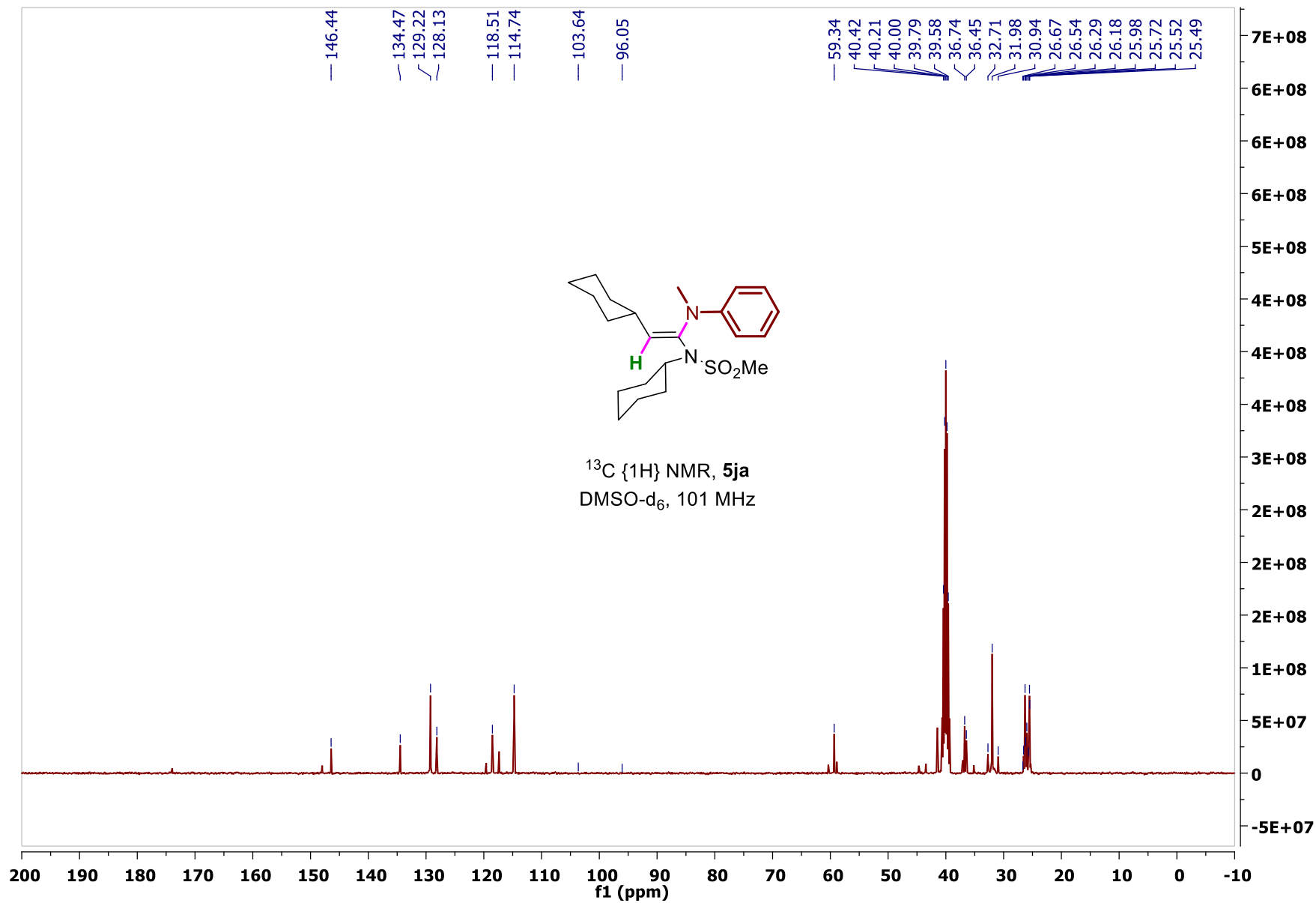


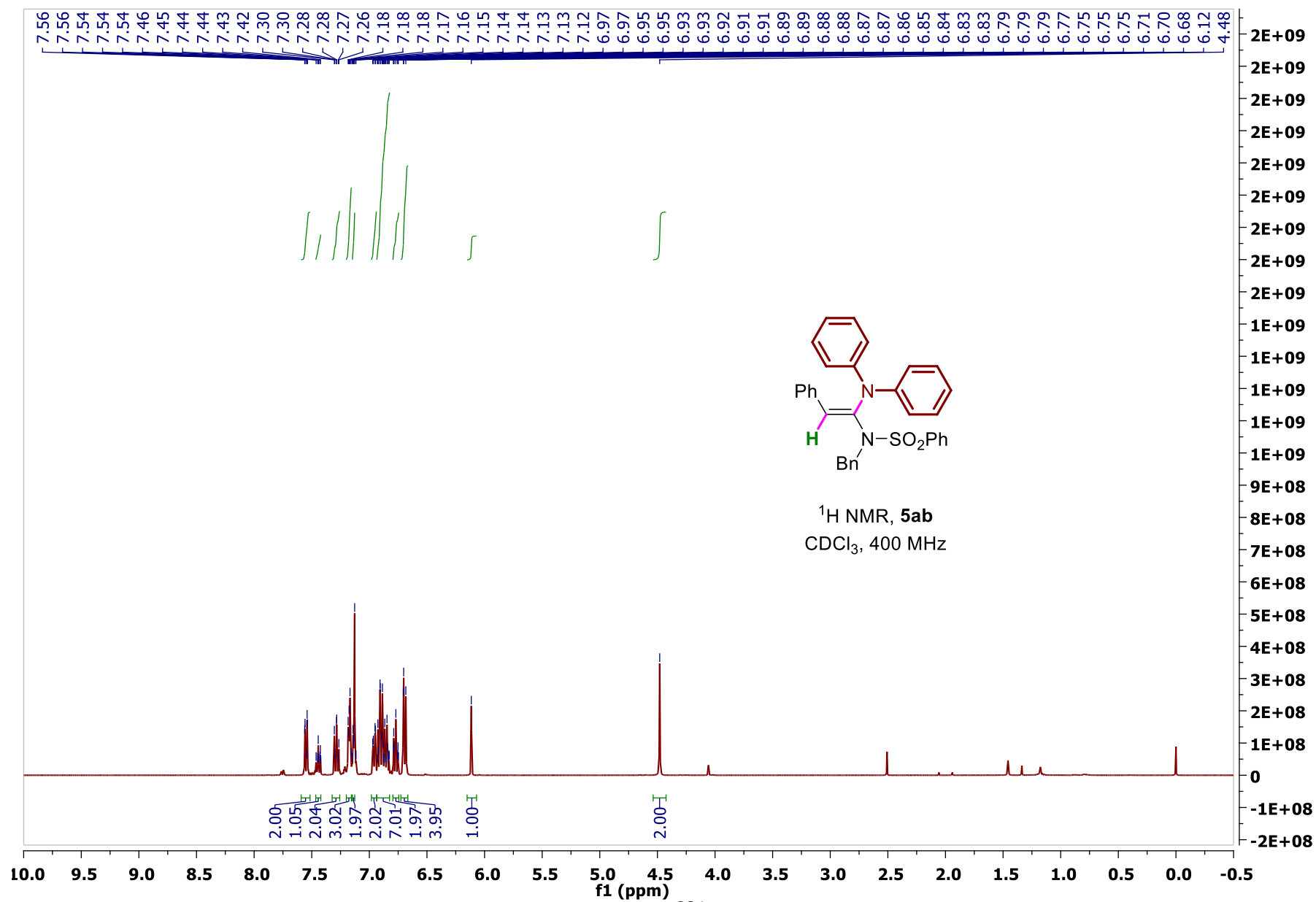


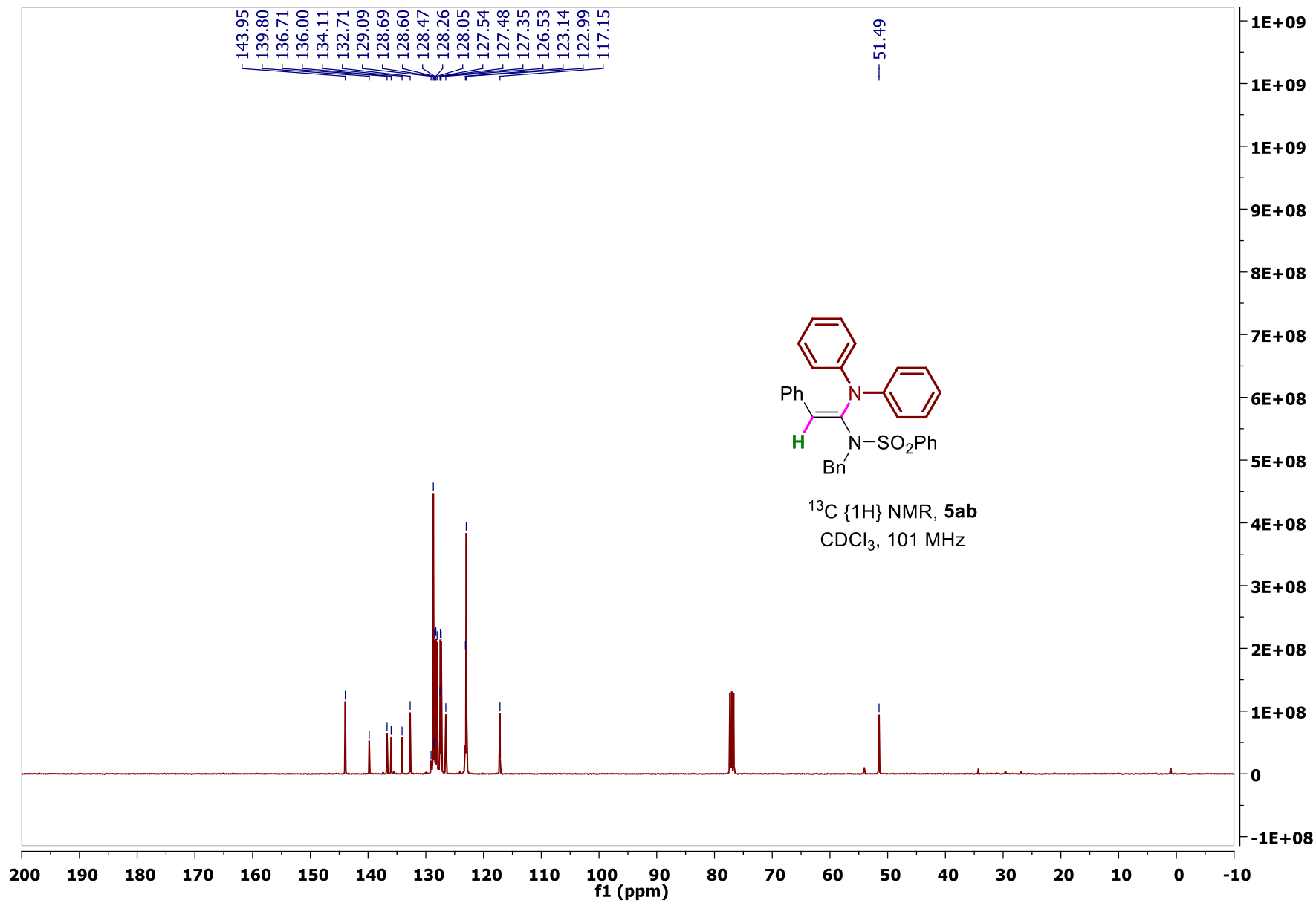


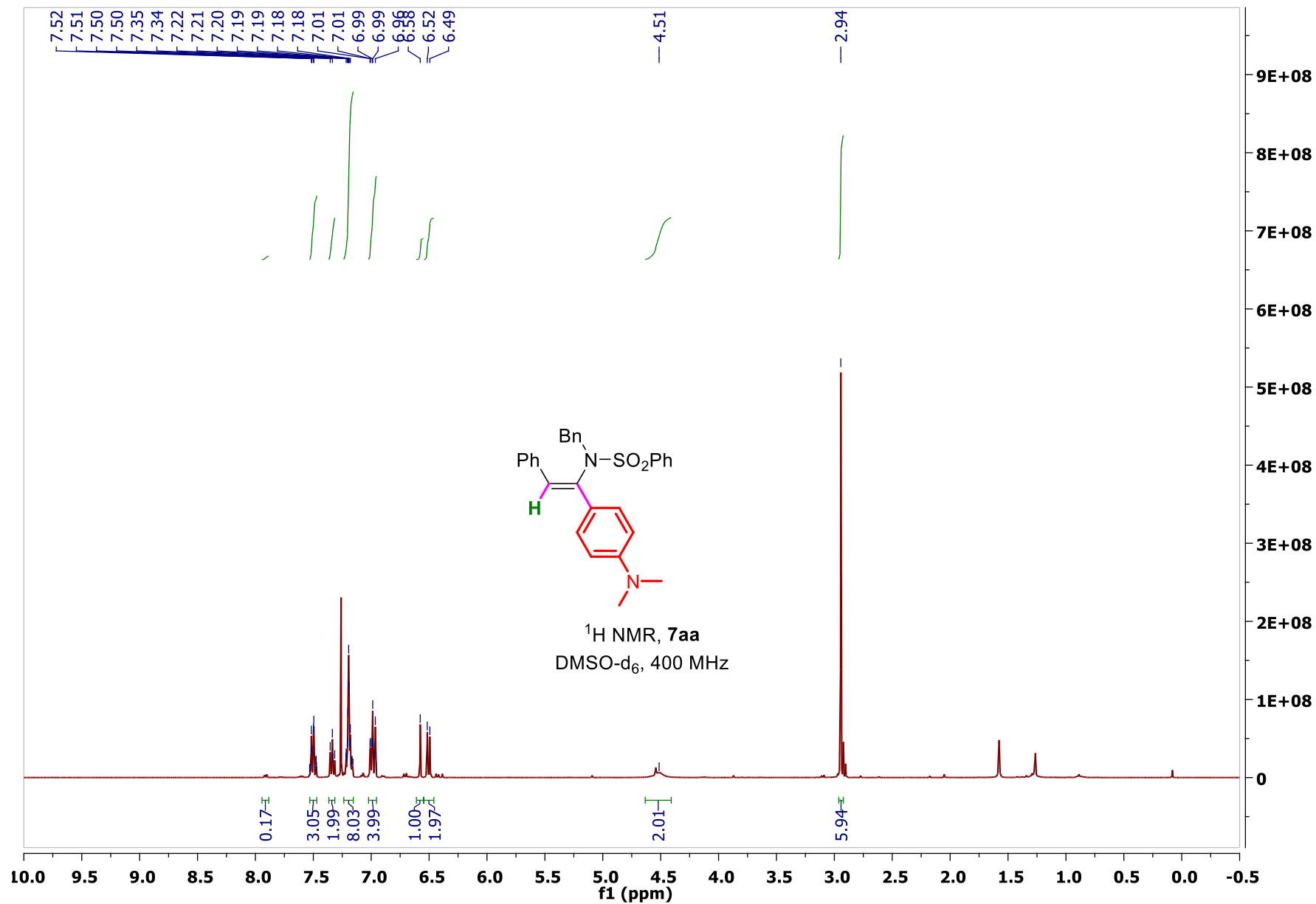




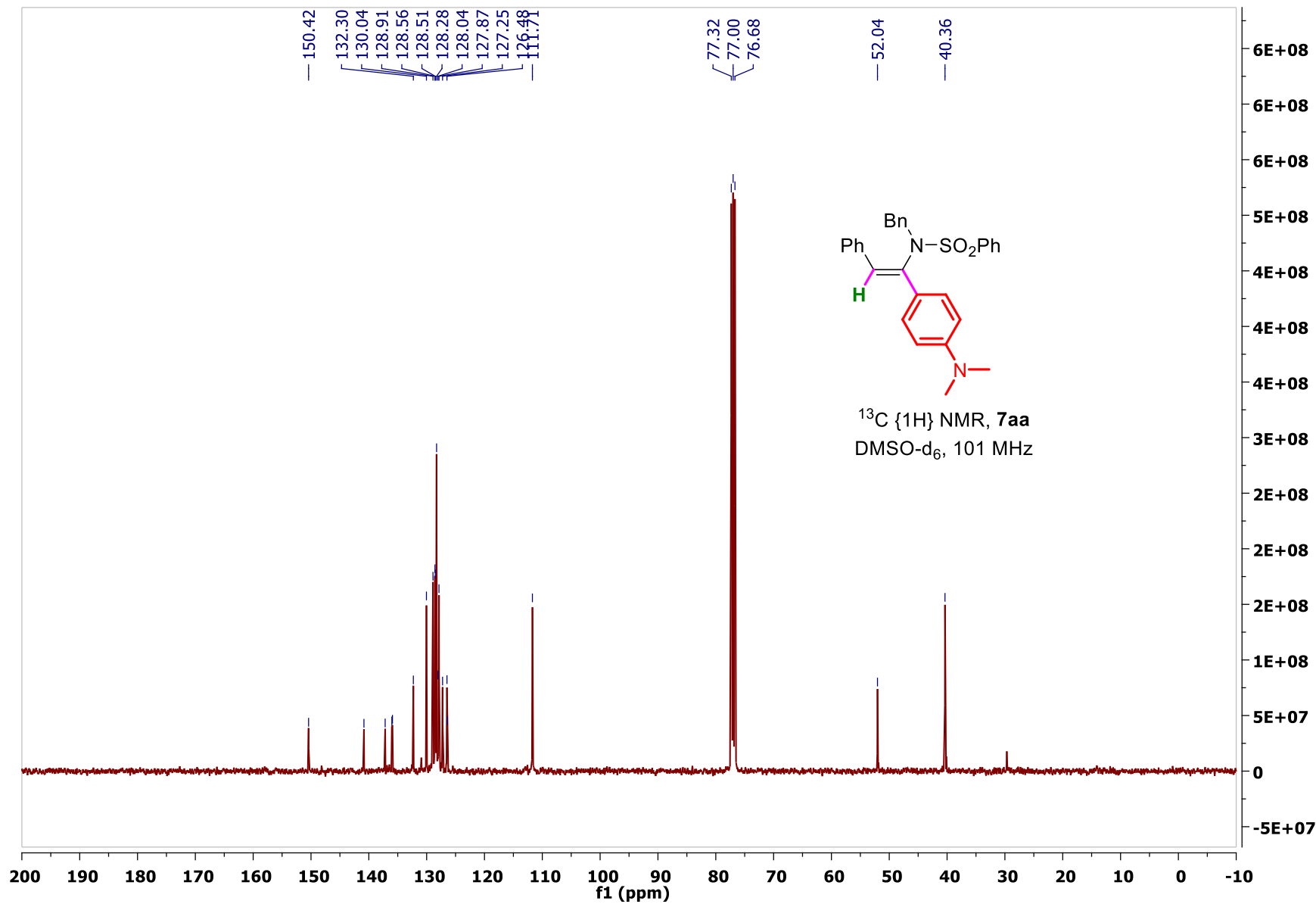


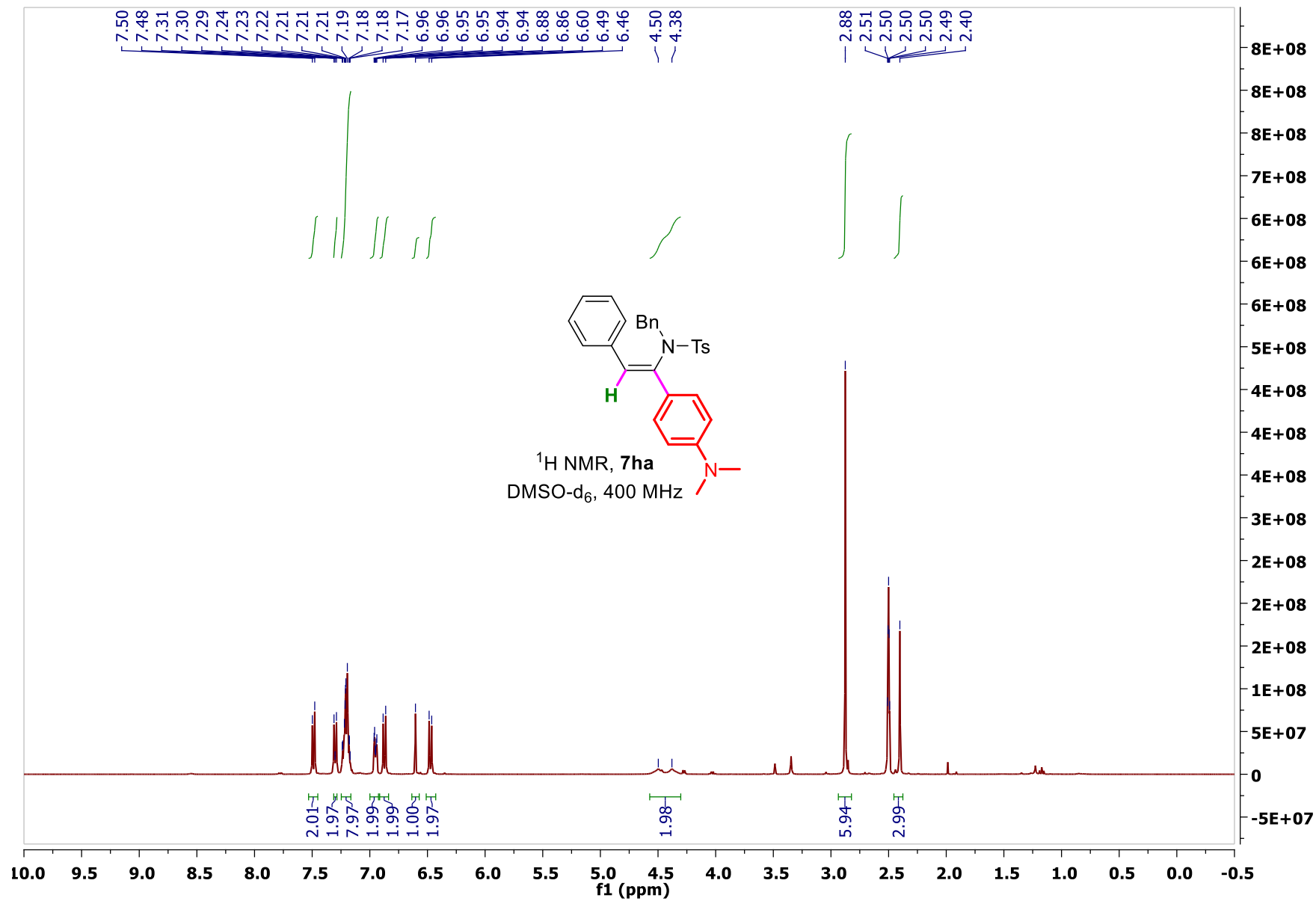


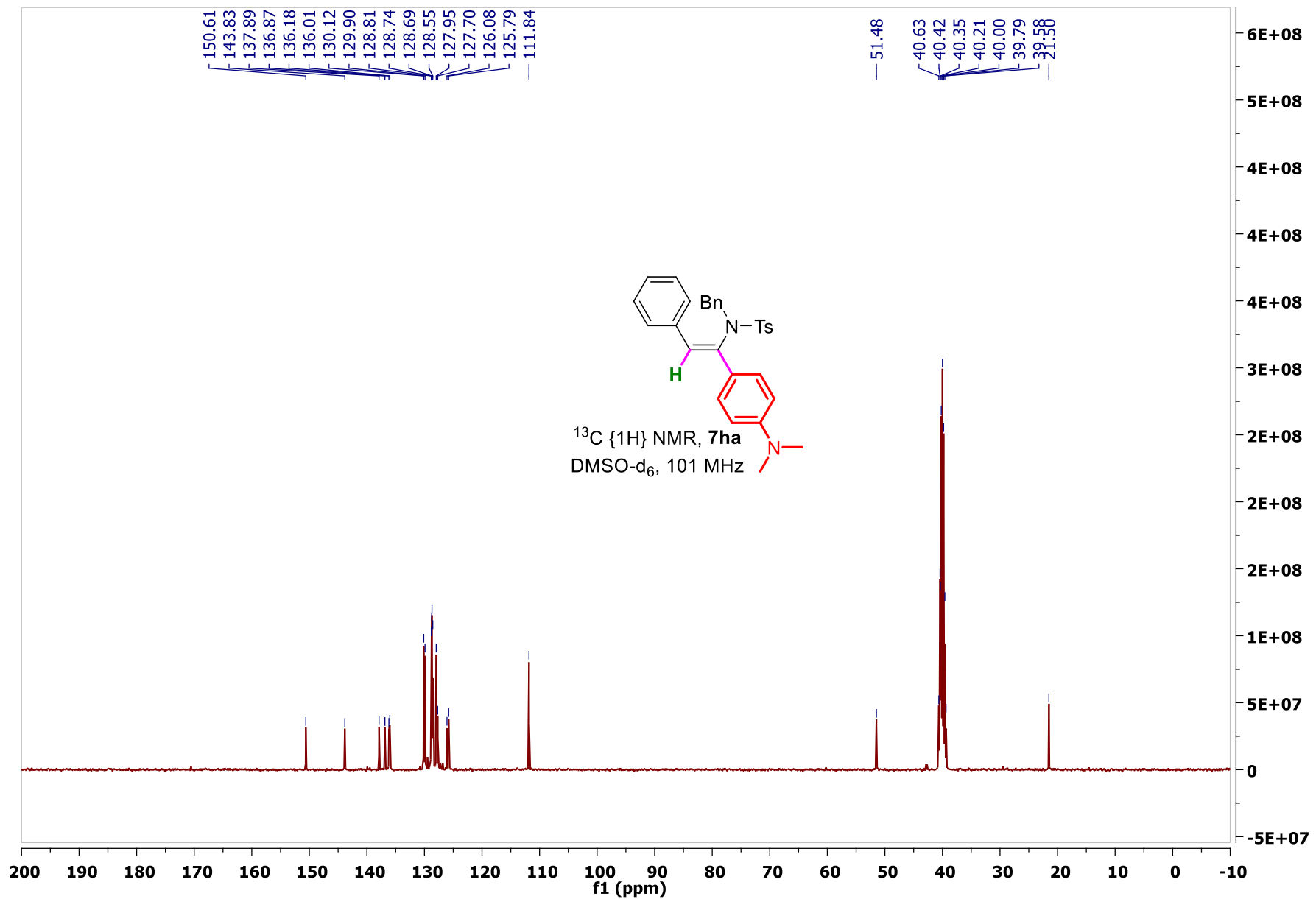


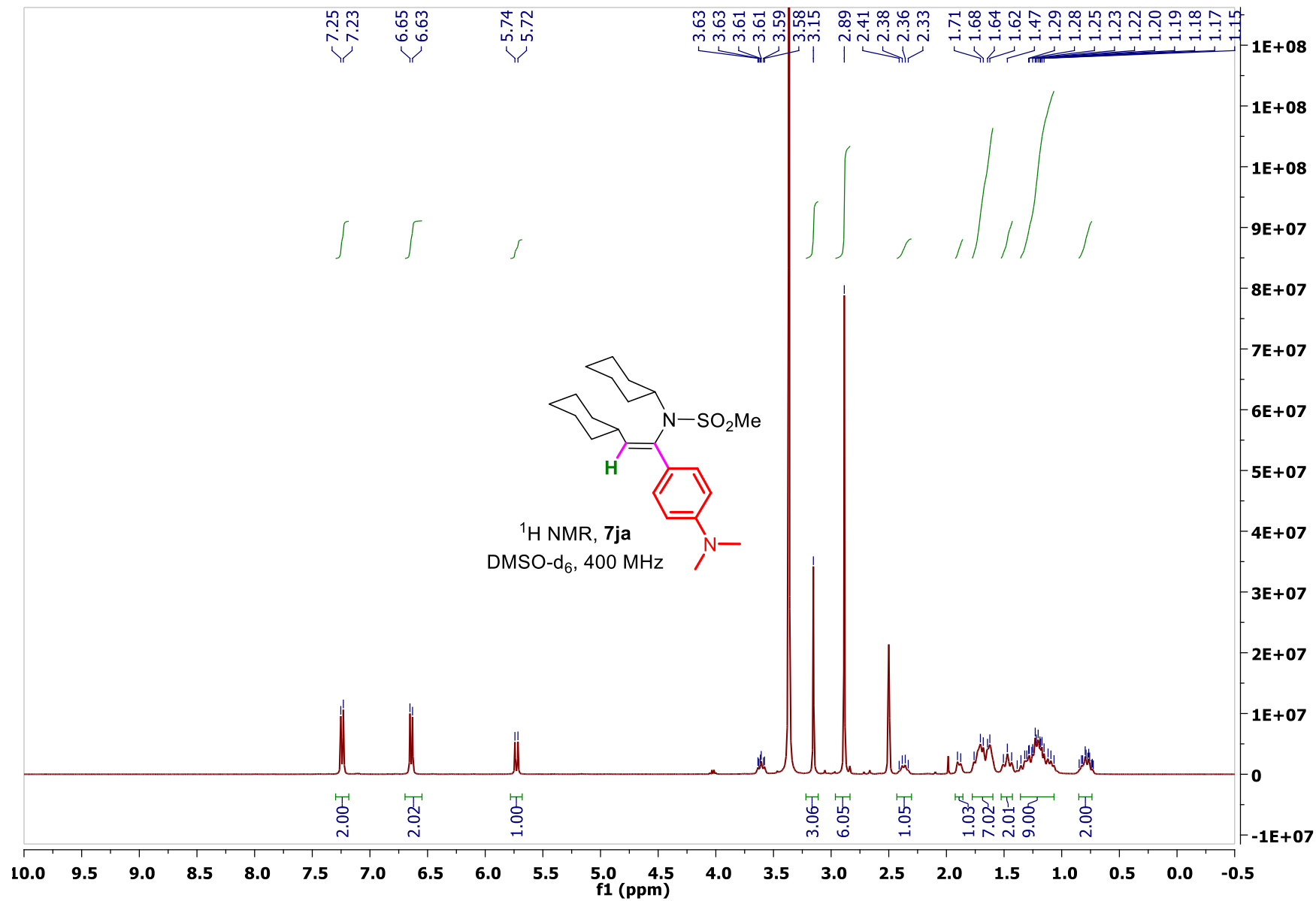


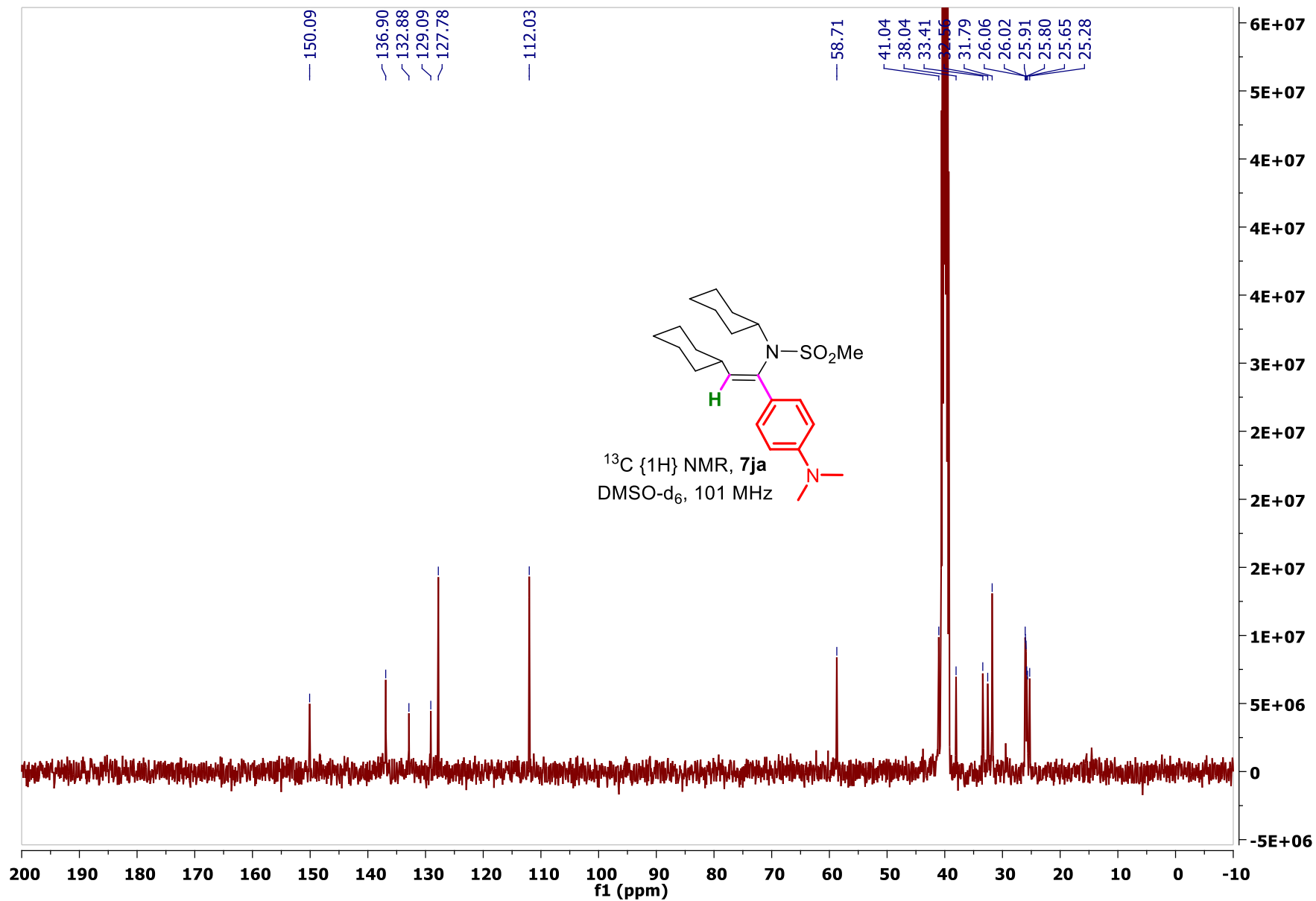
S83

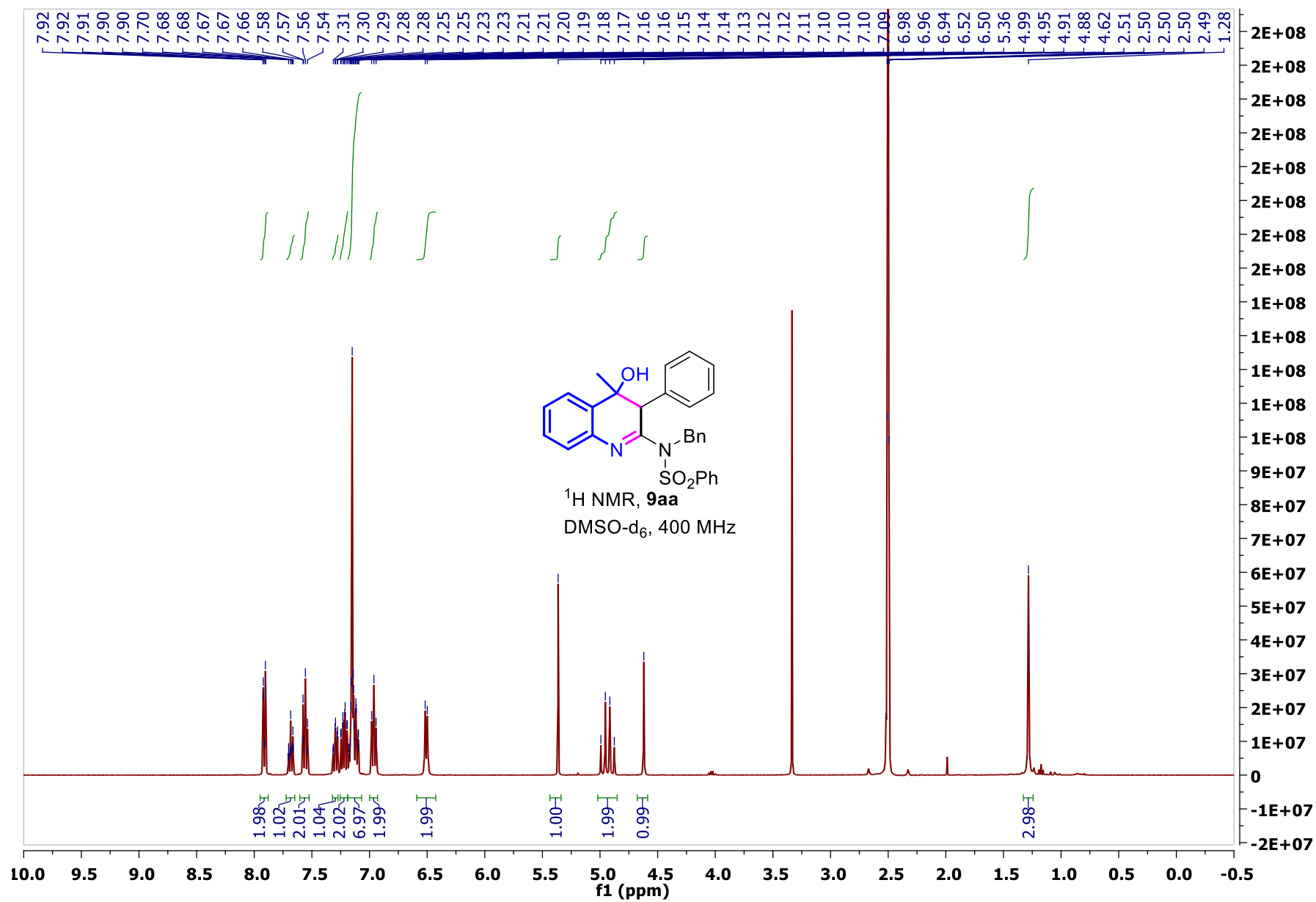


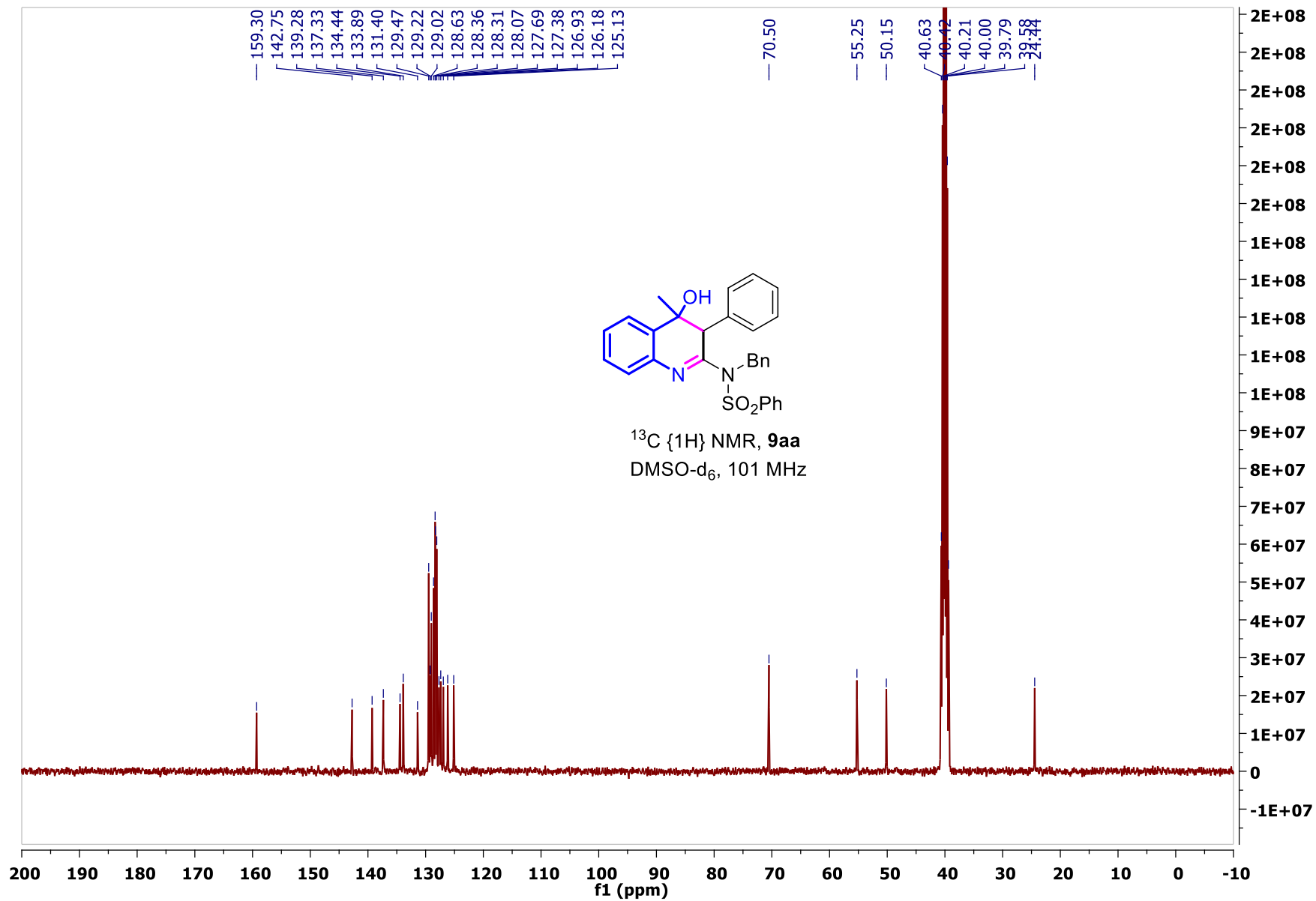


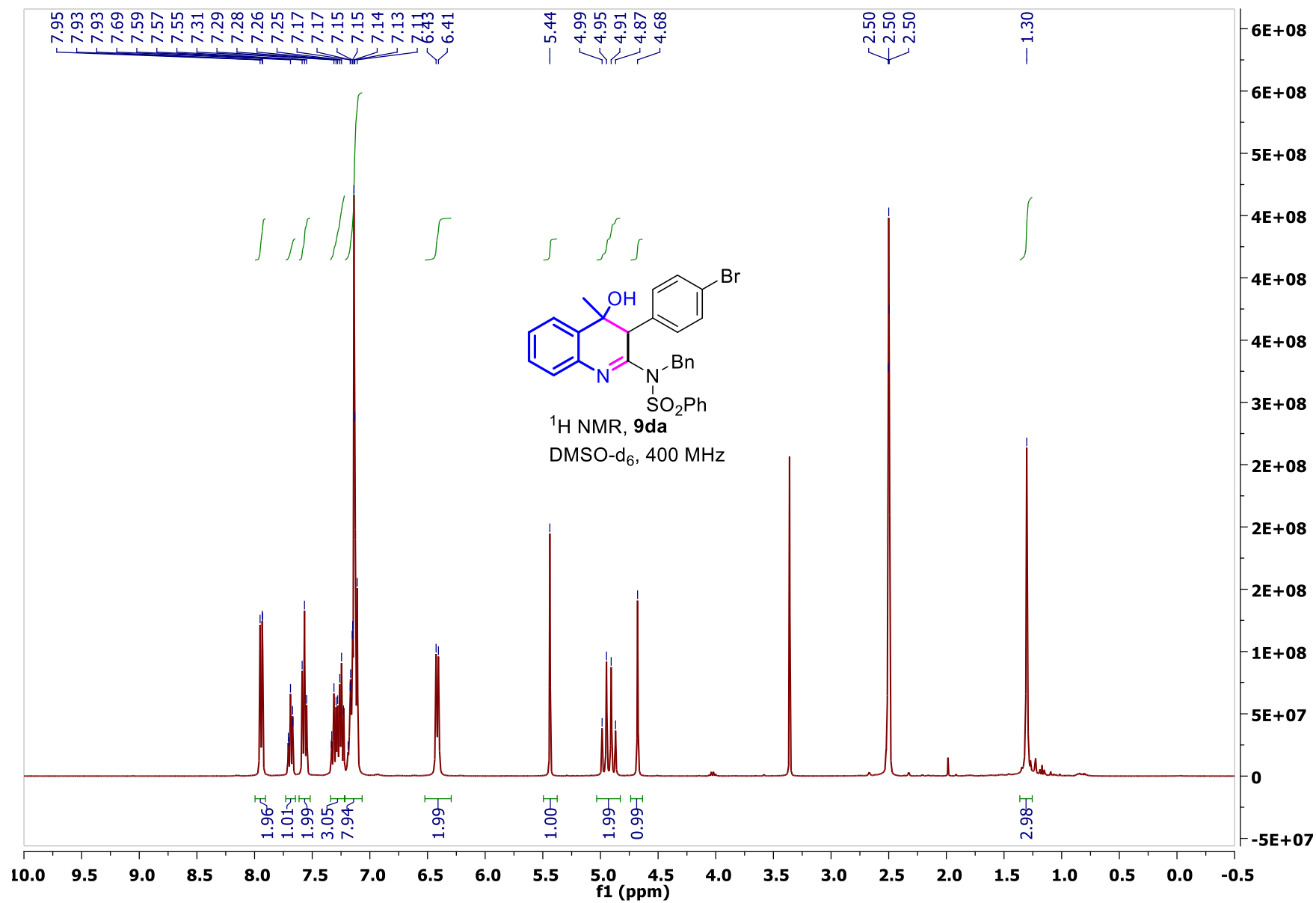


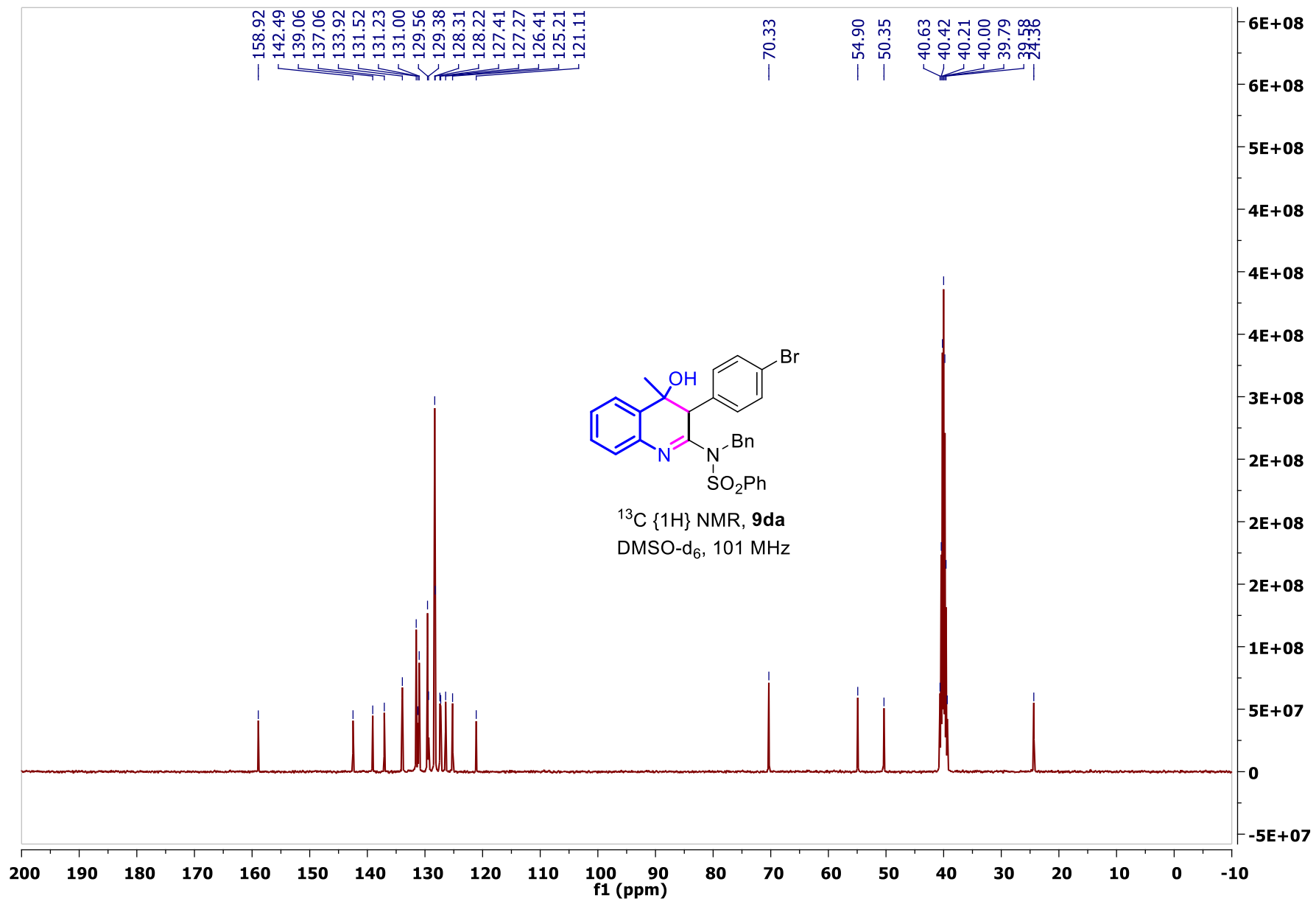


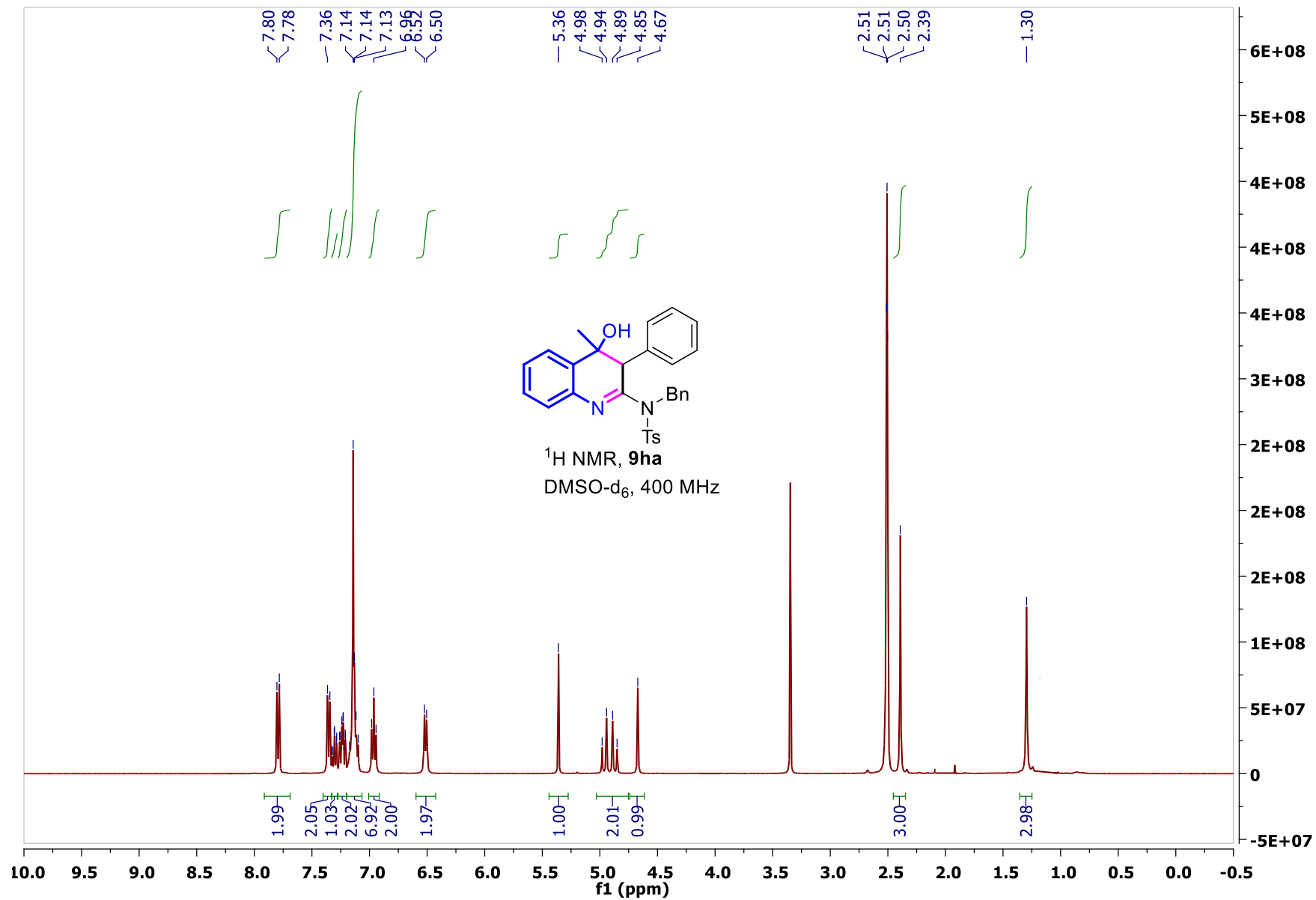


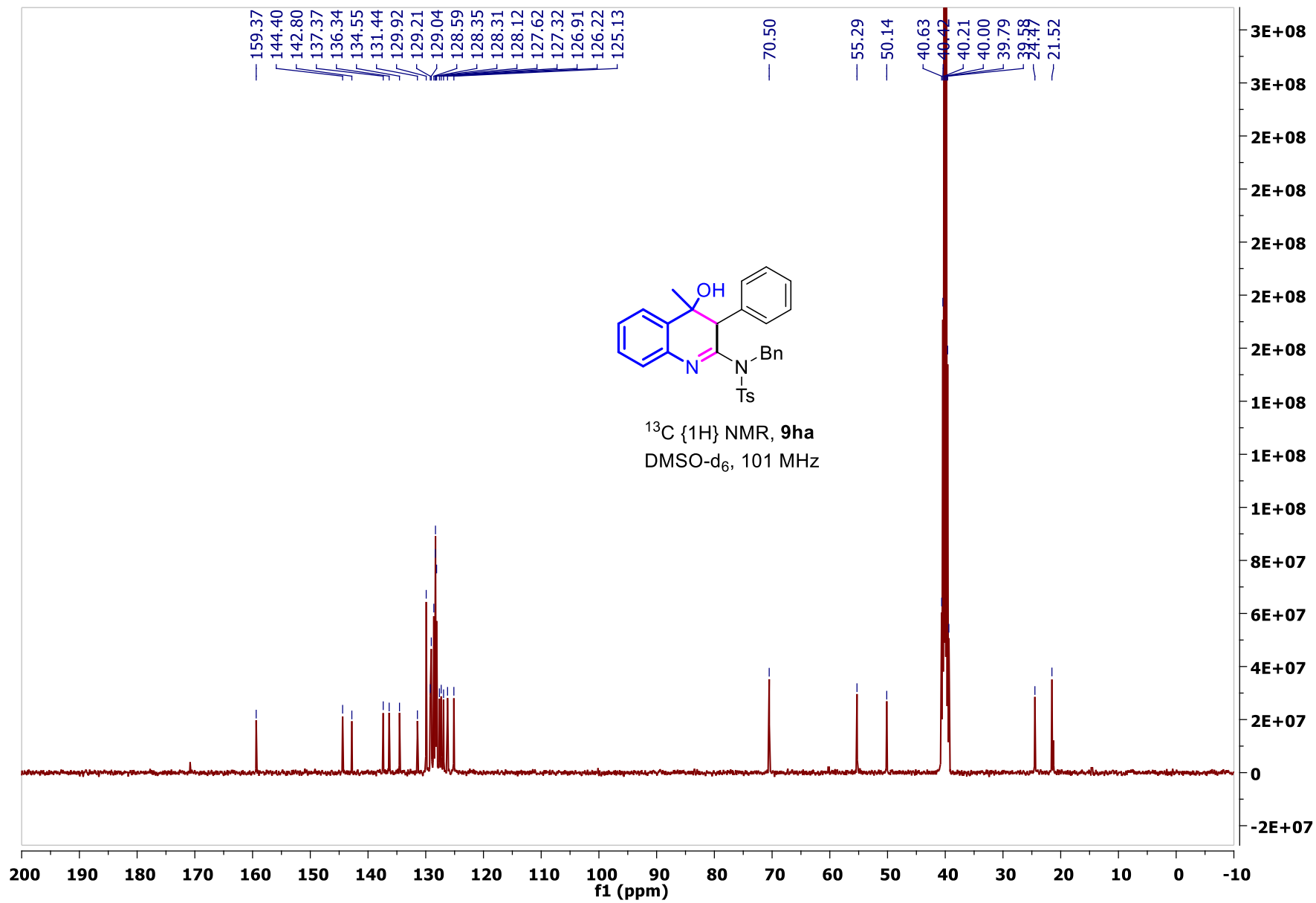


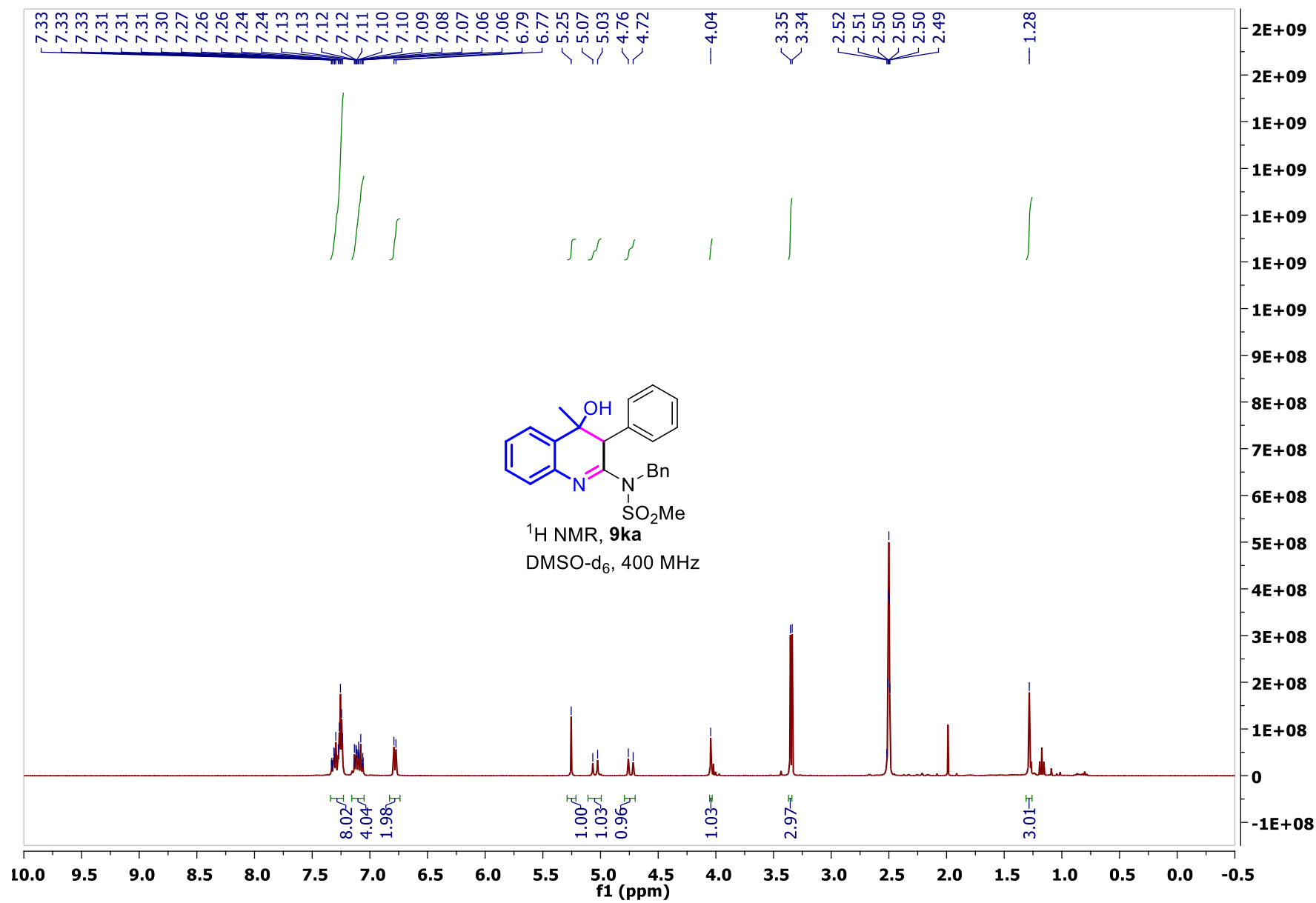


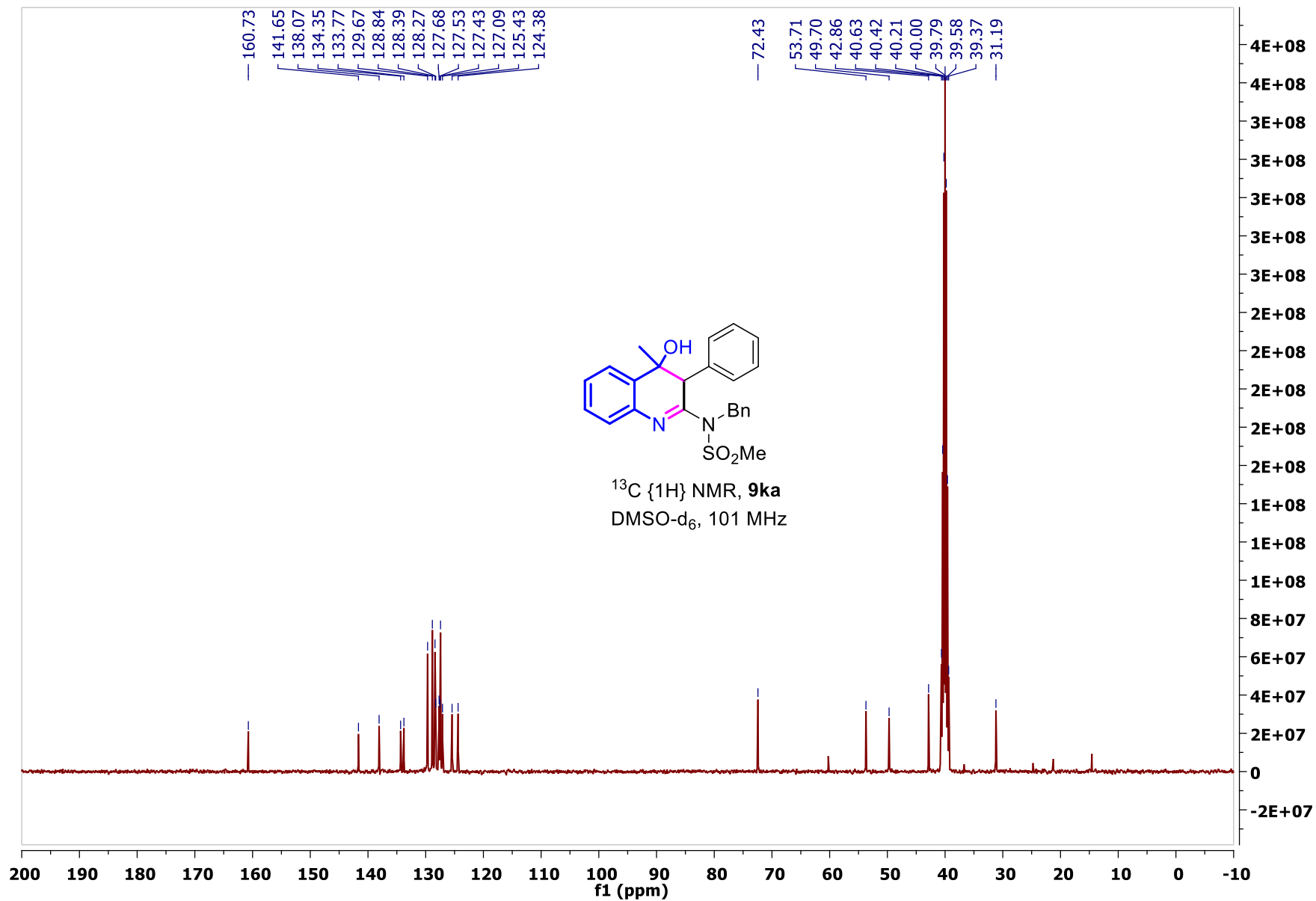


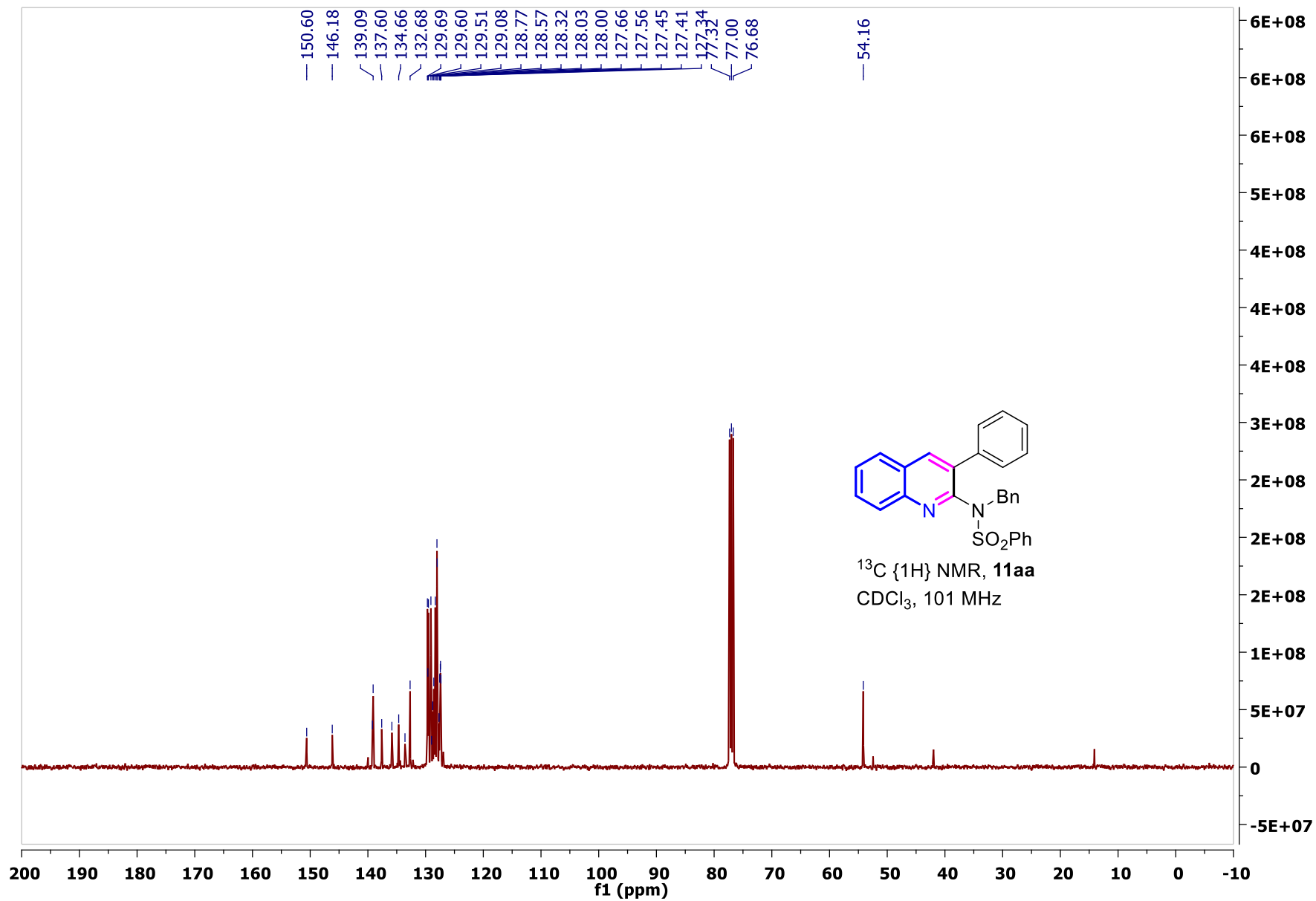


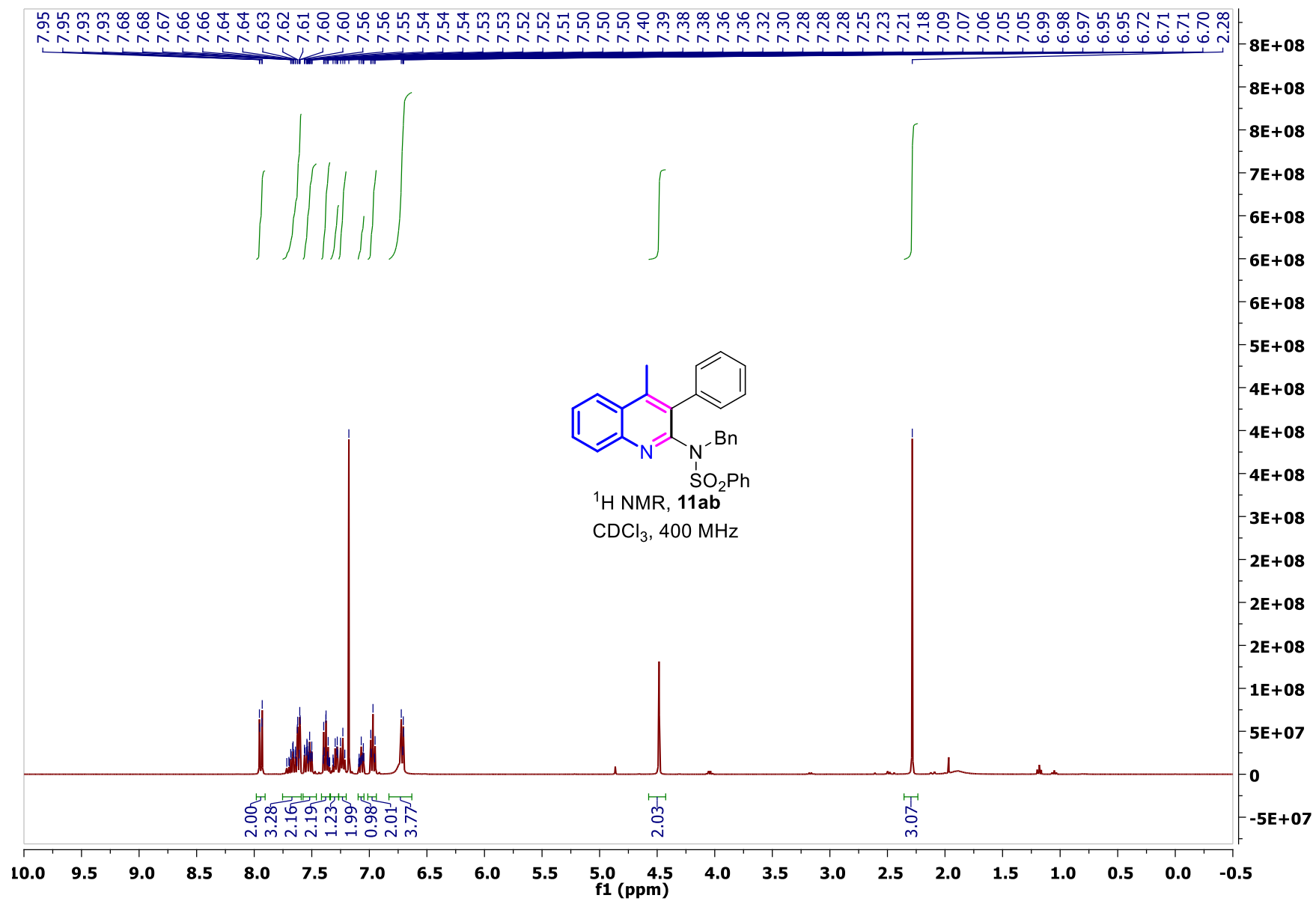


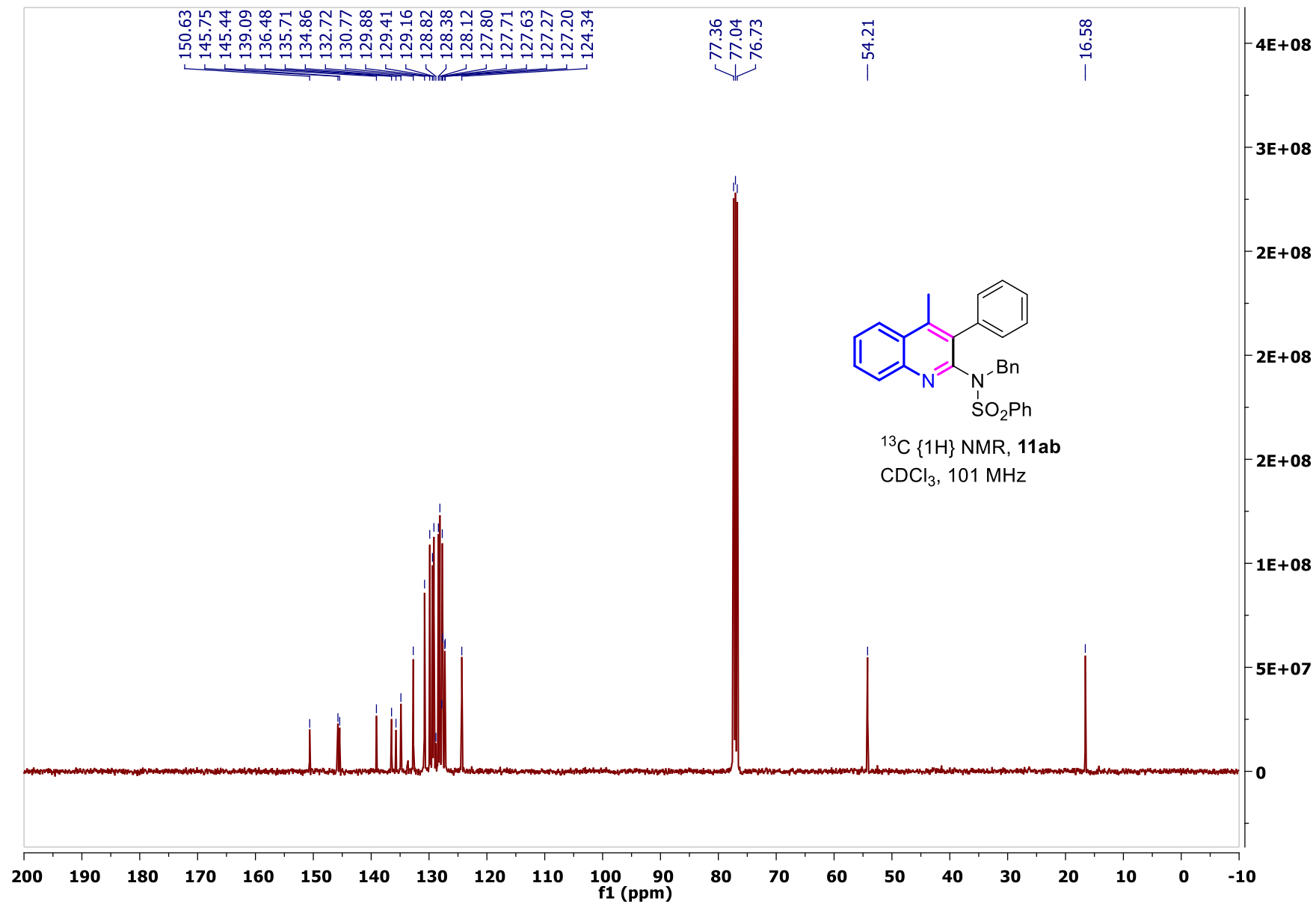




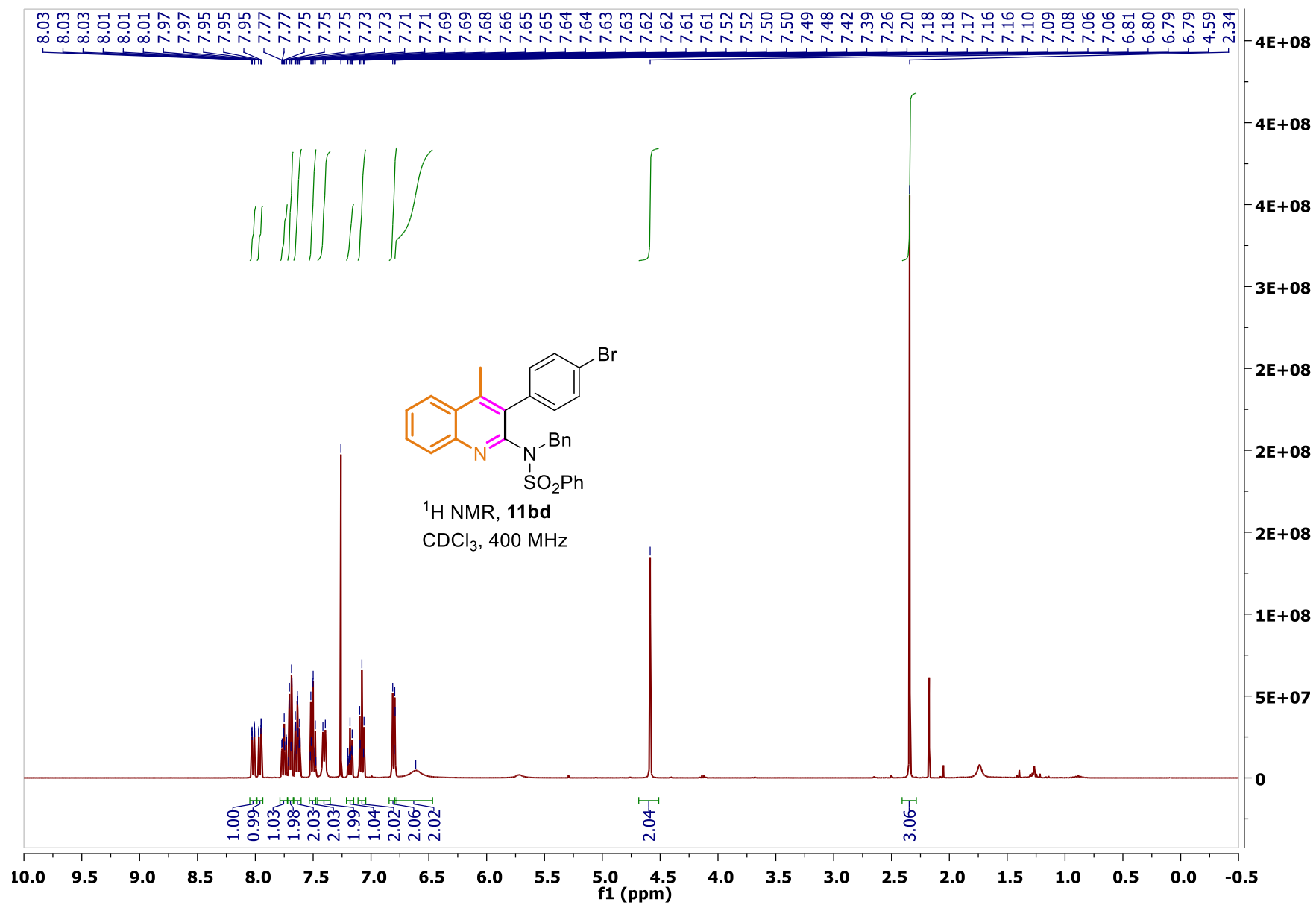




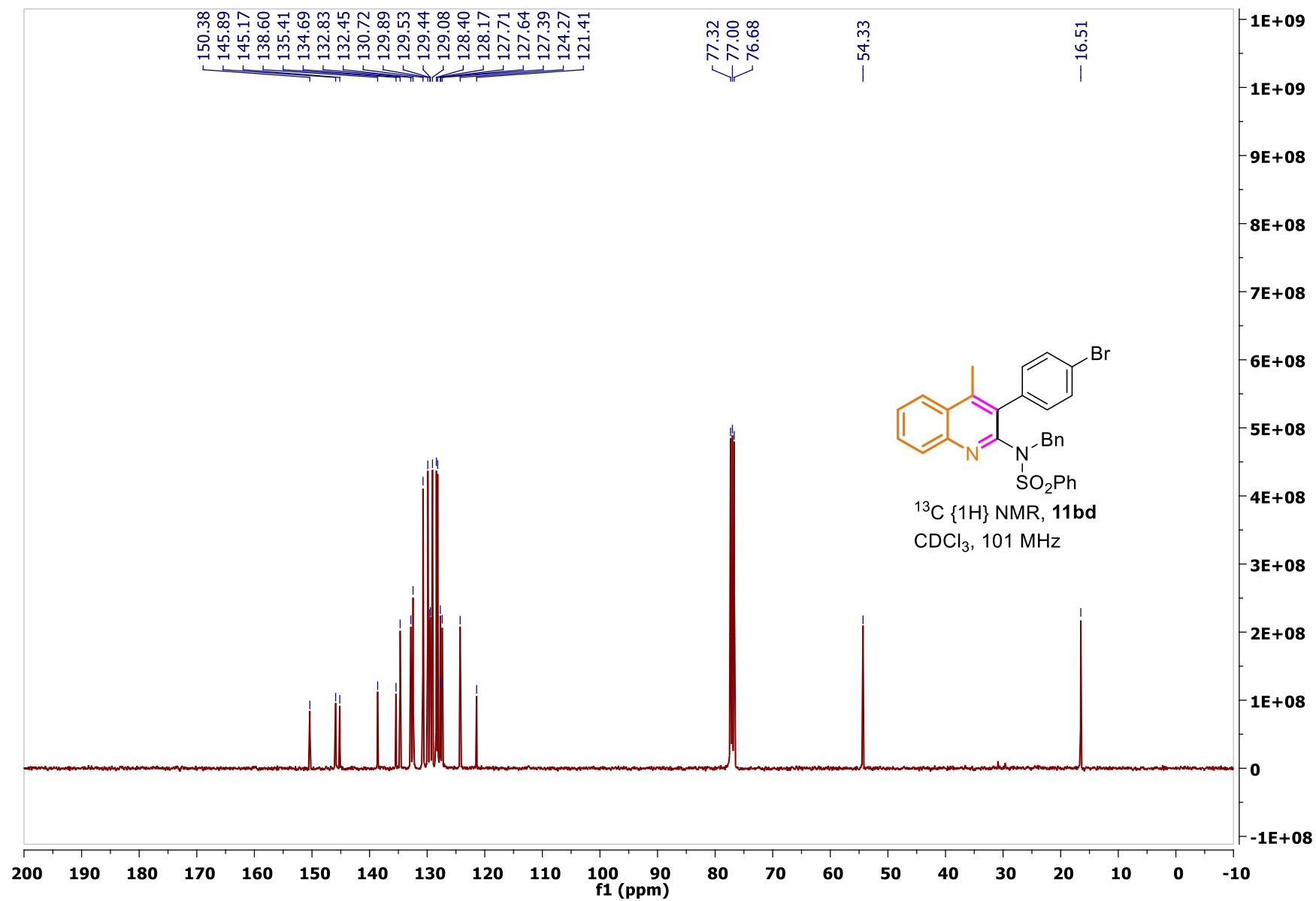


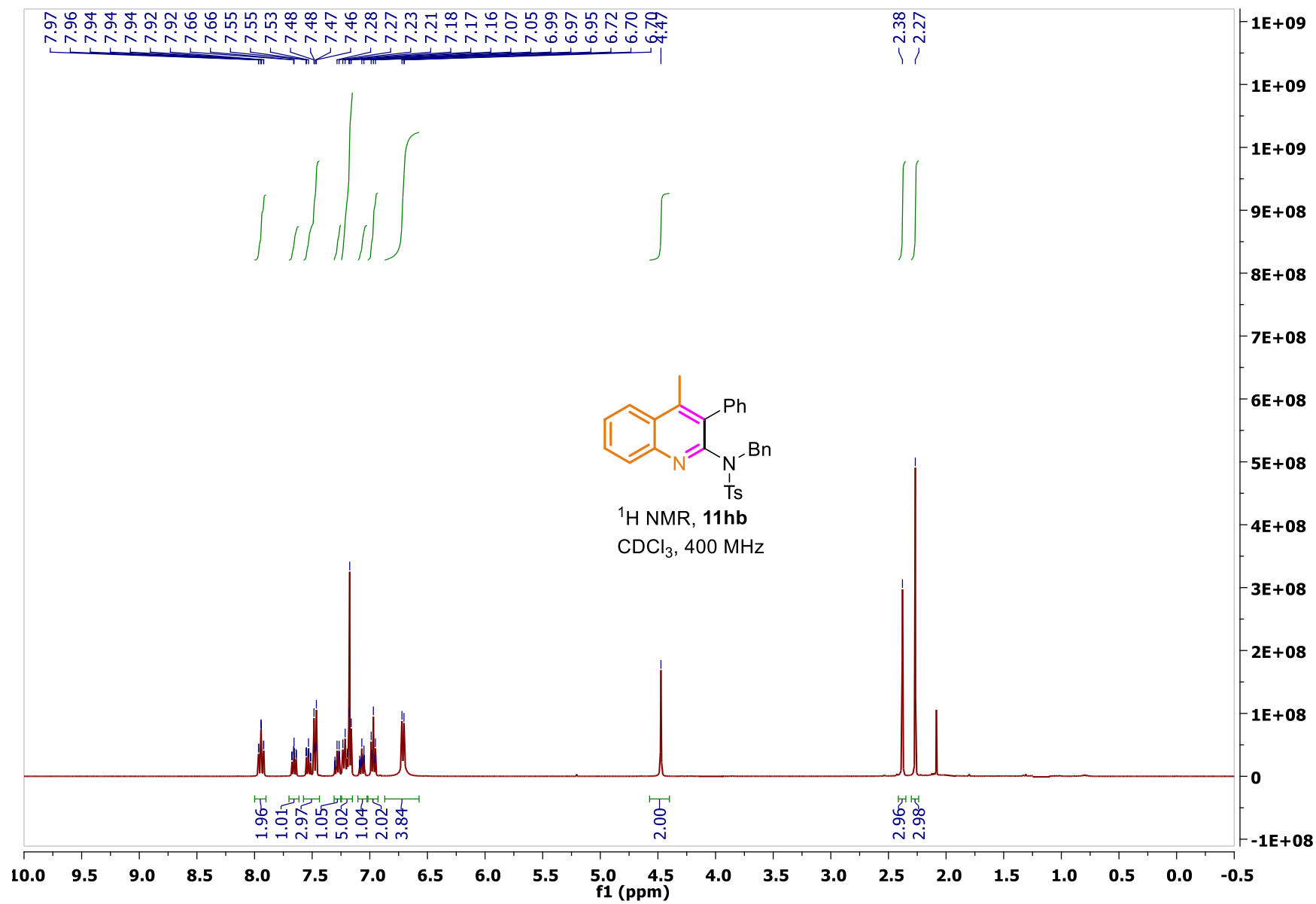


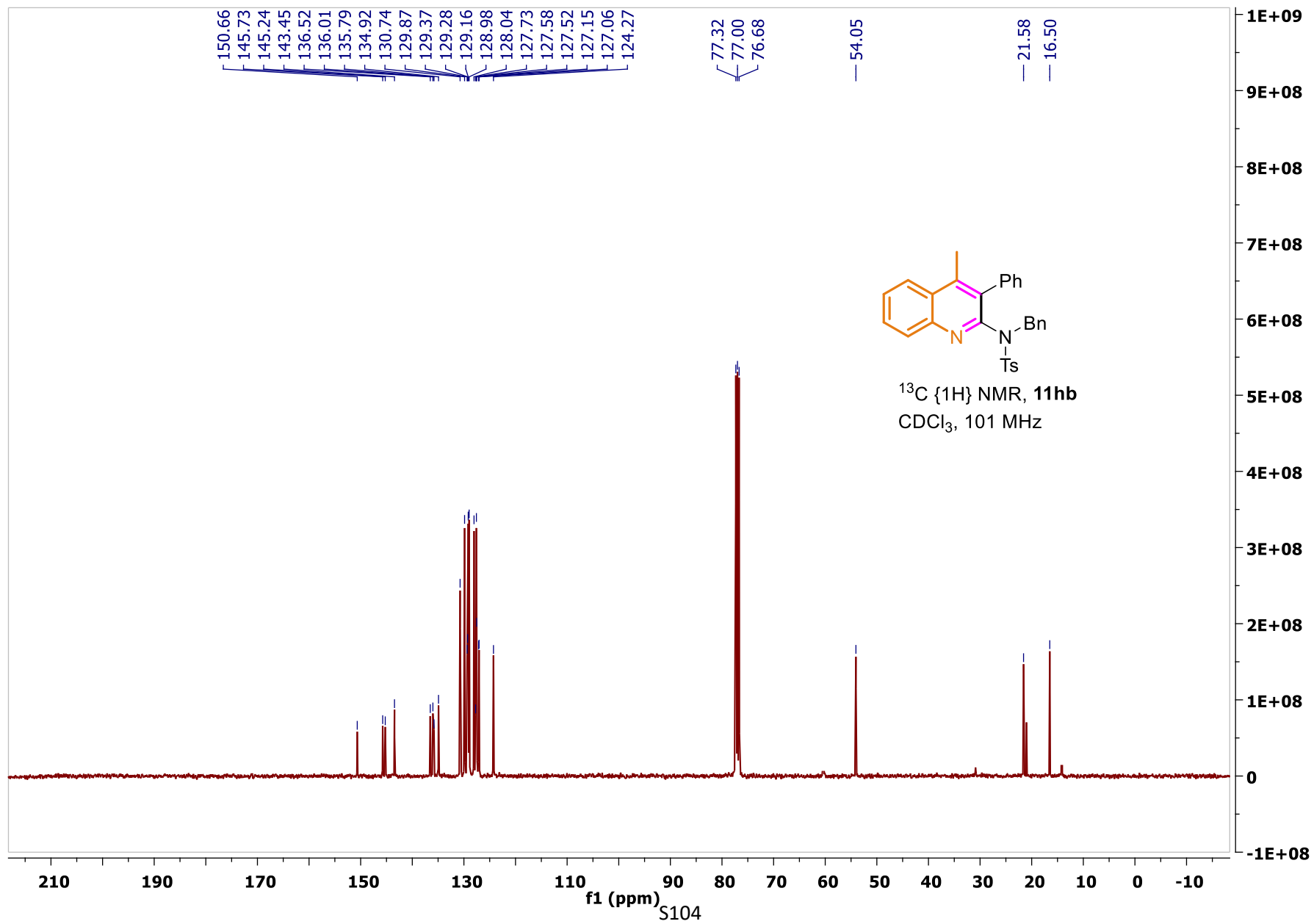
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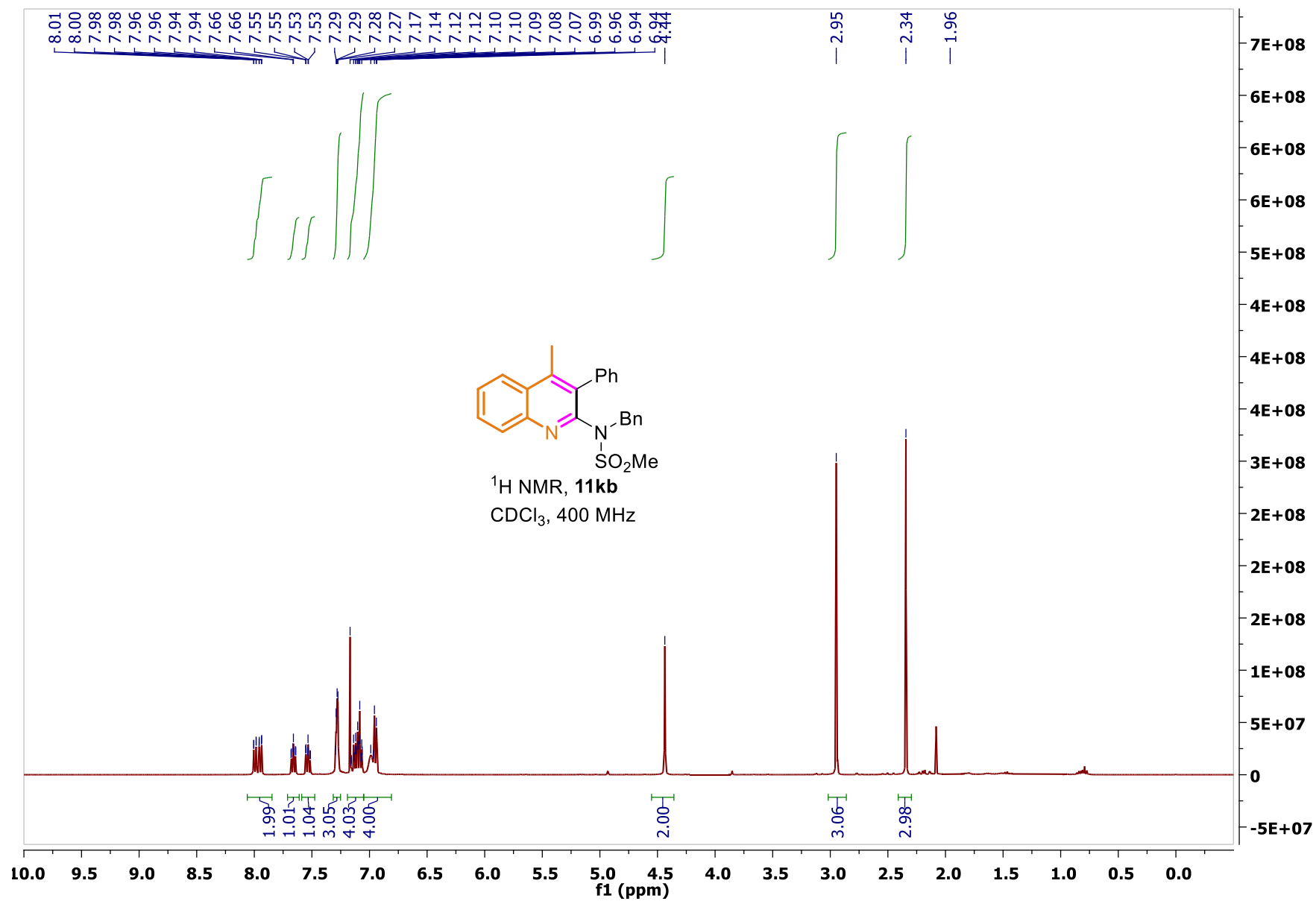


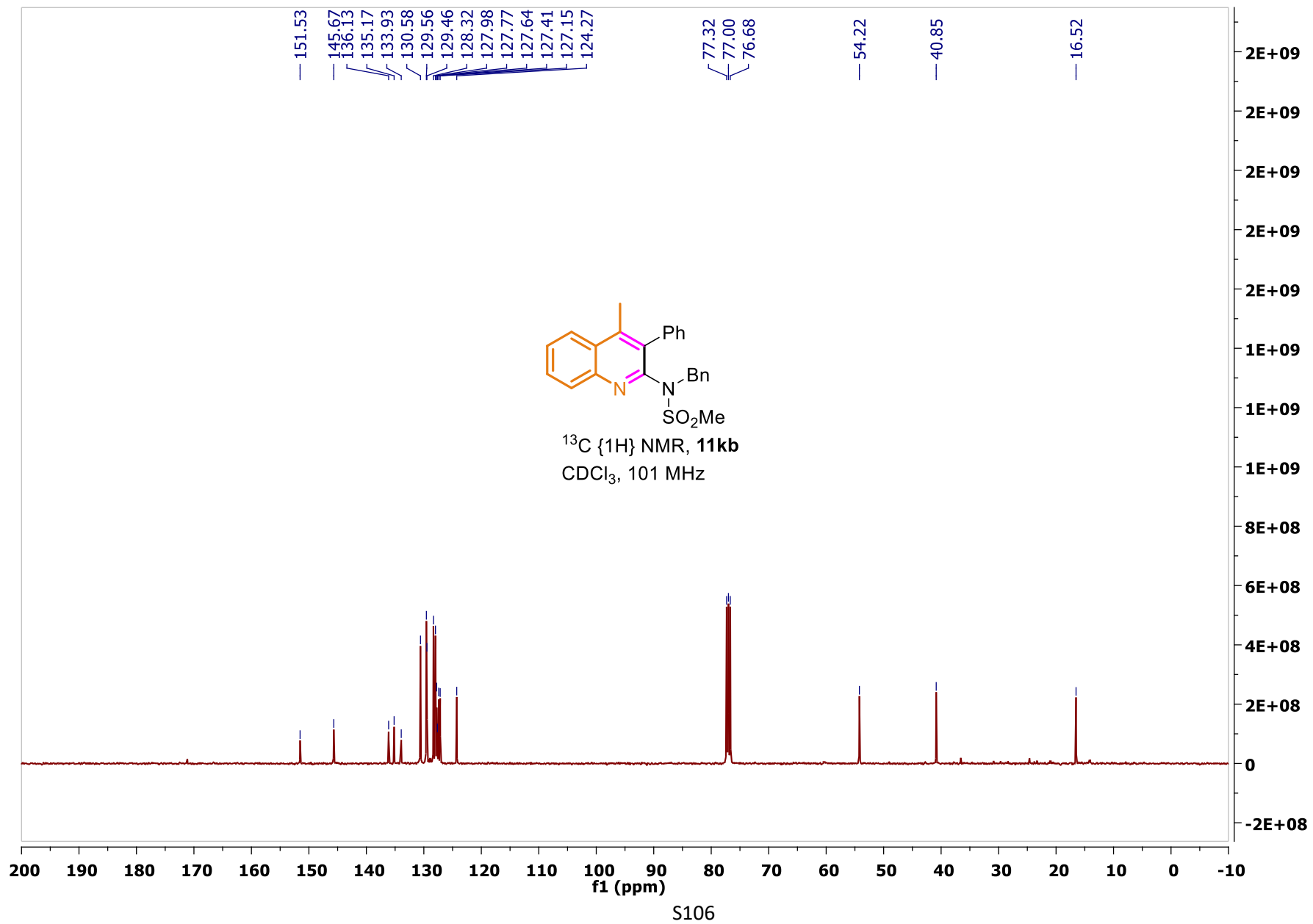
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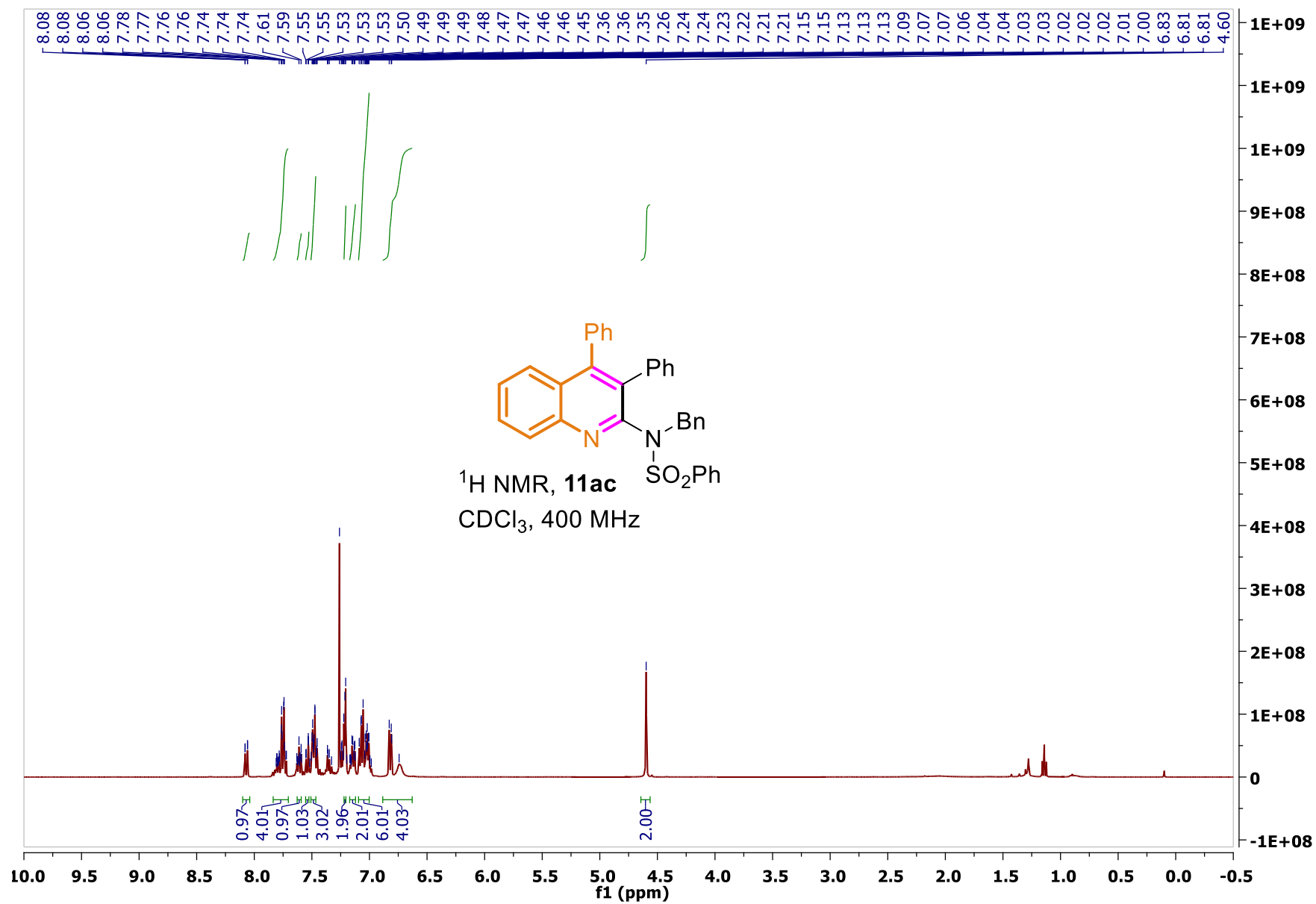


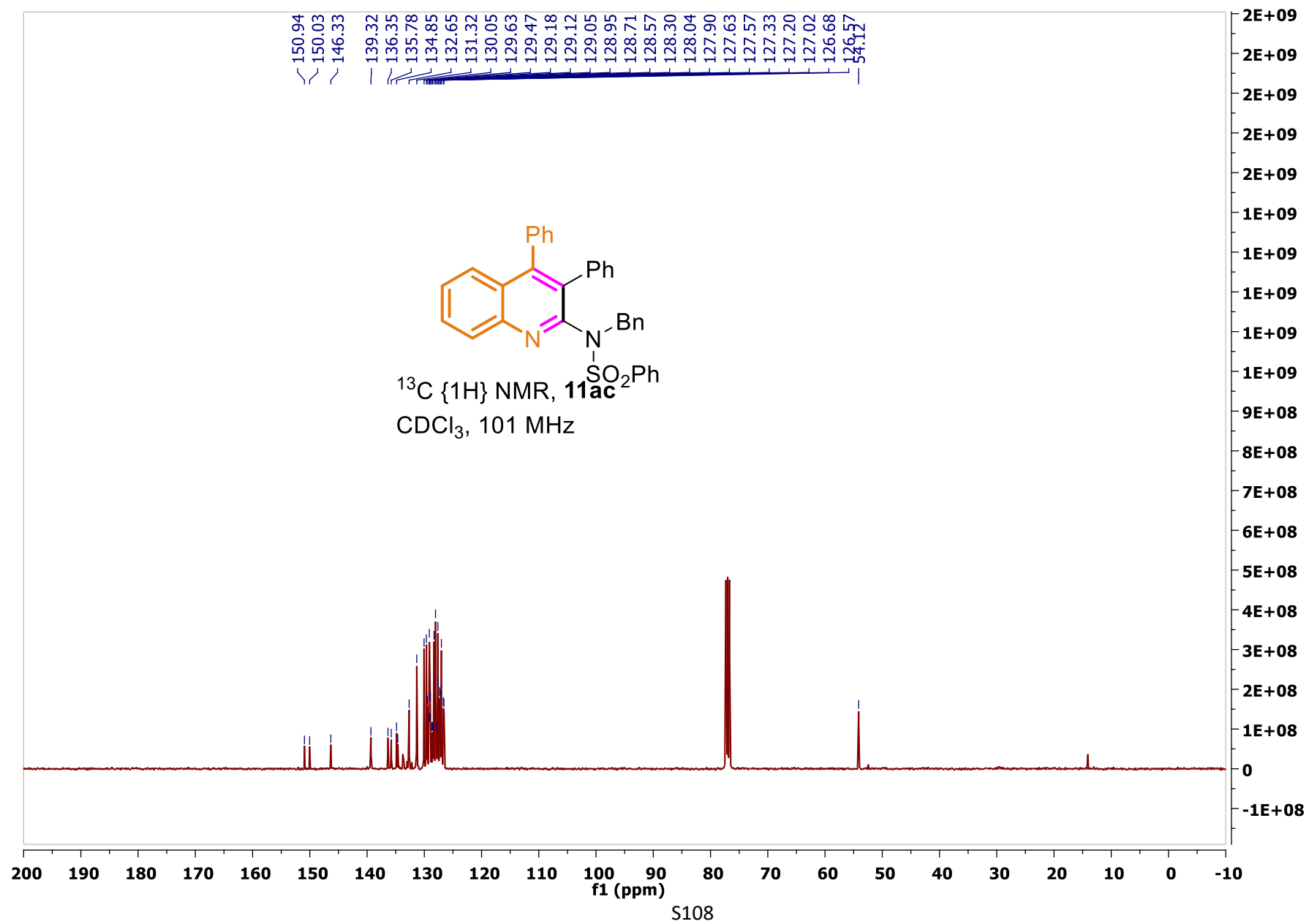


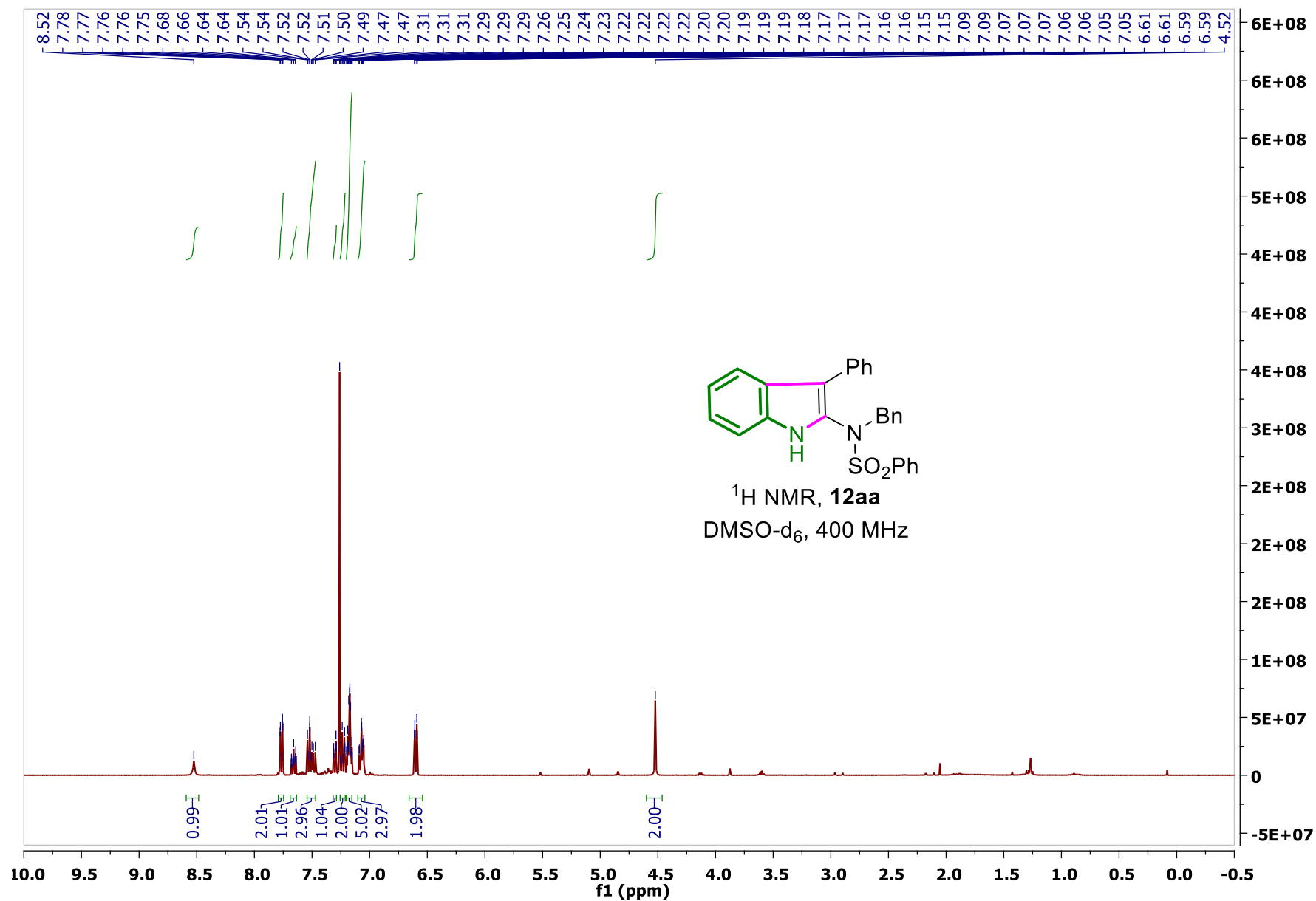


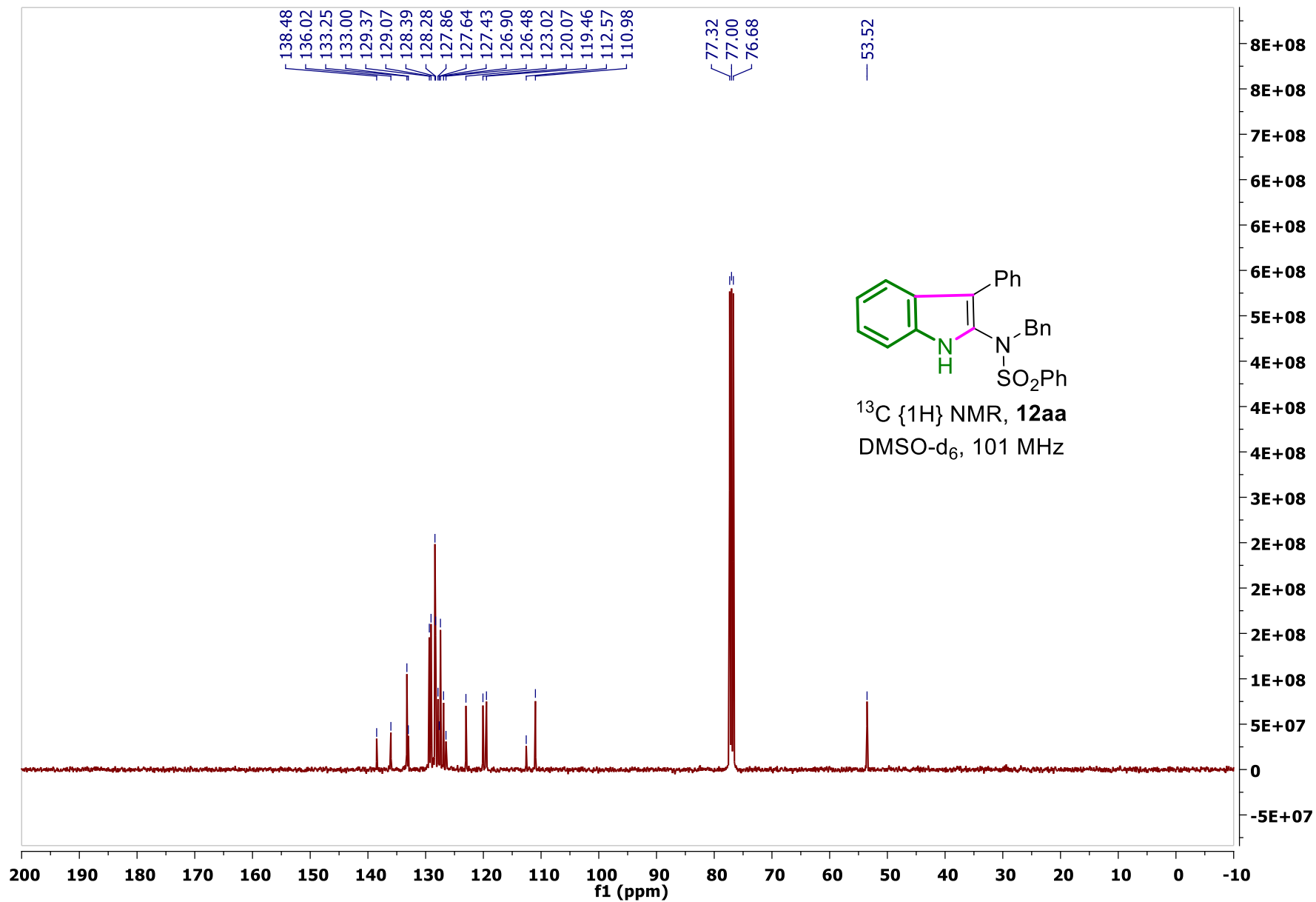




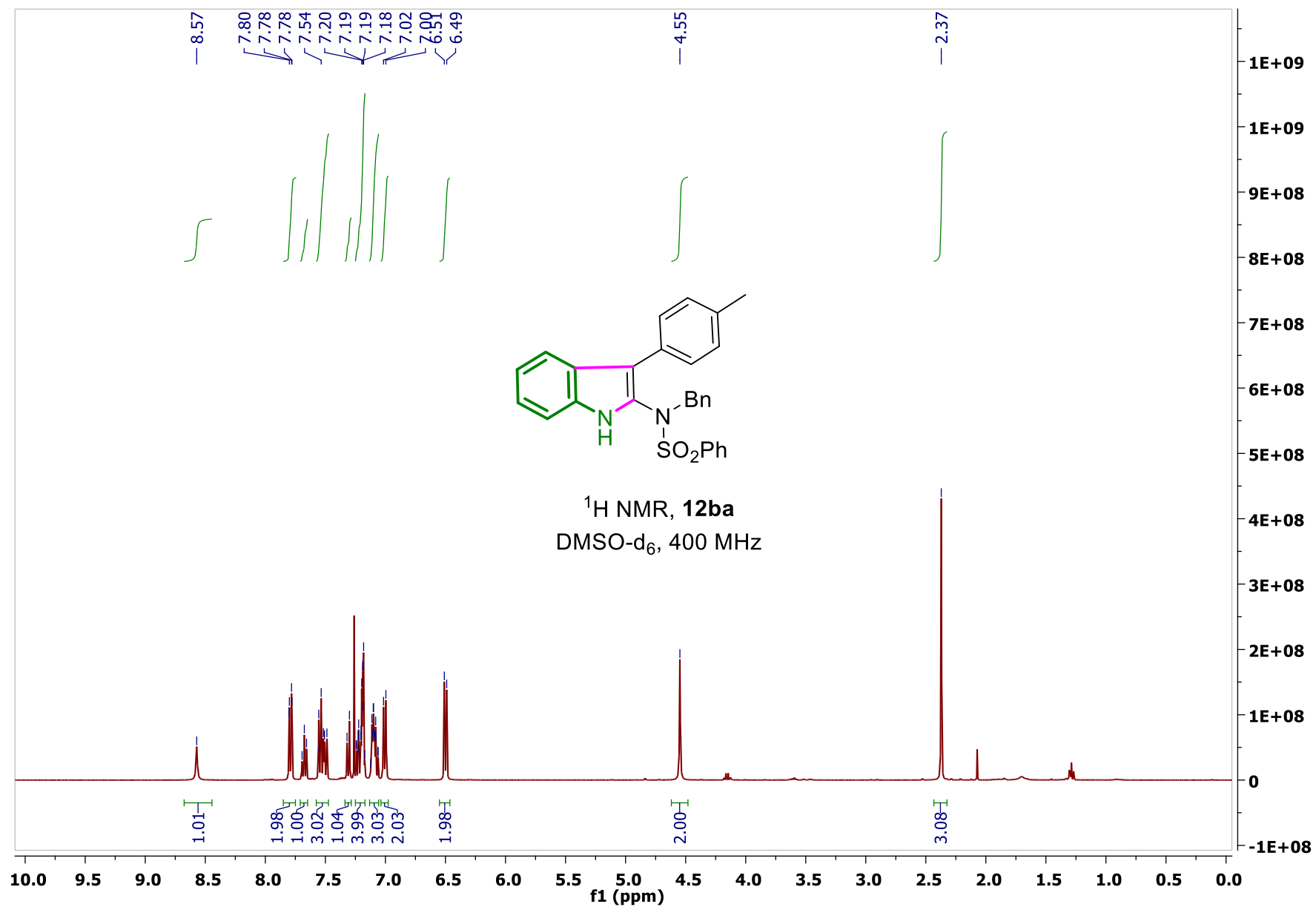




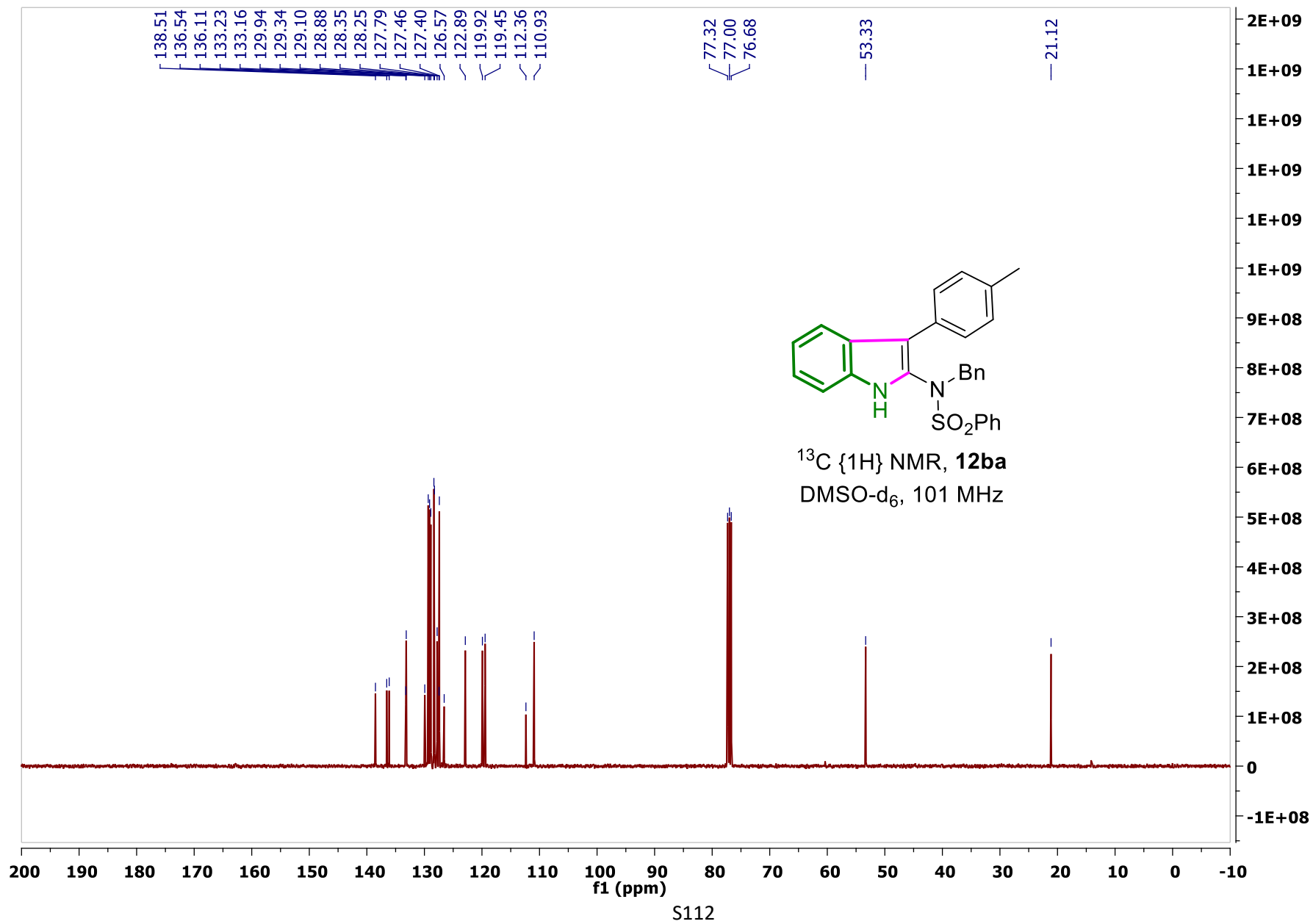


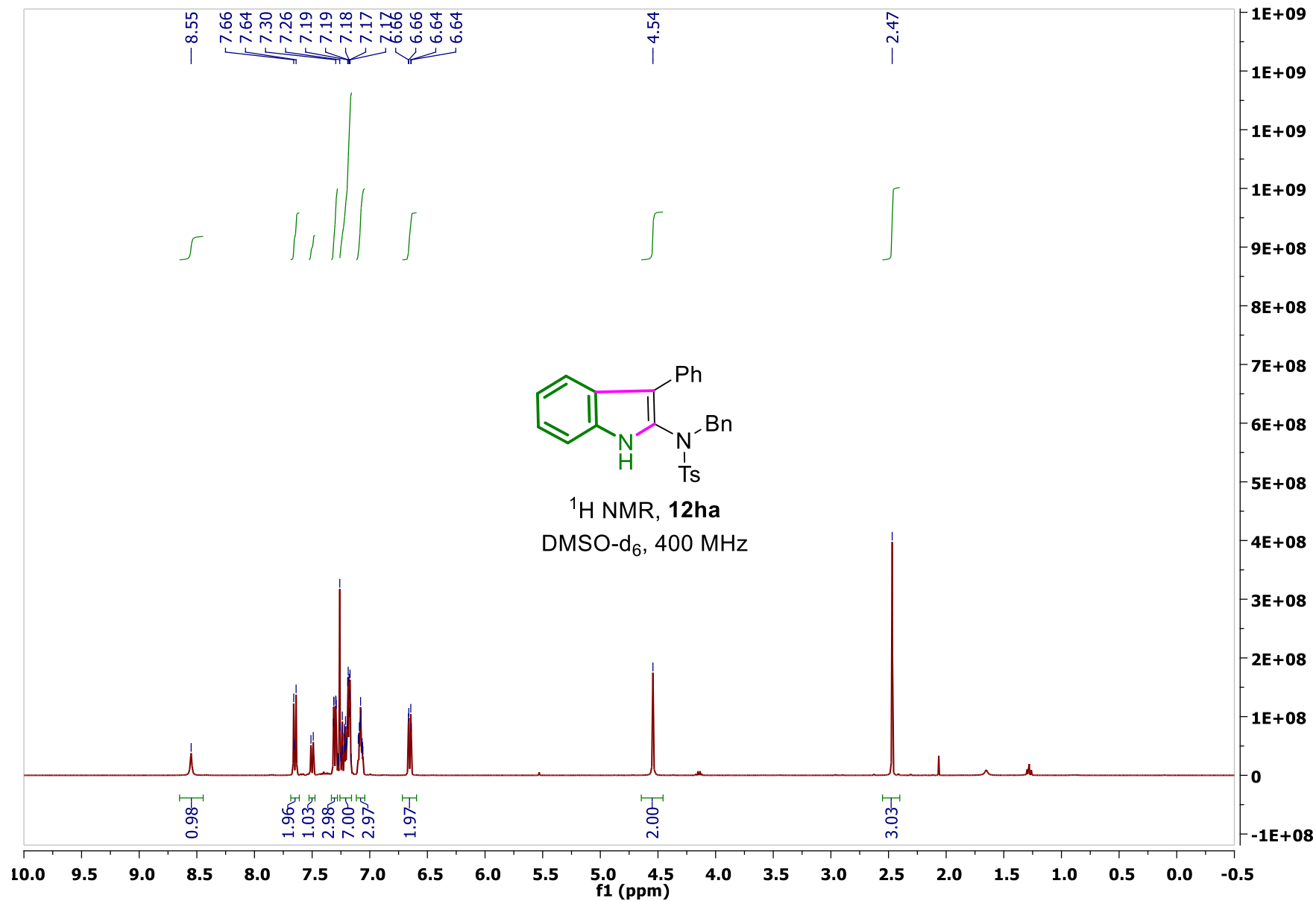


S110

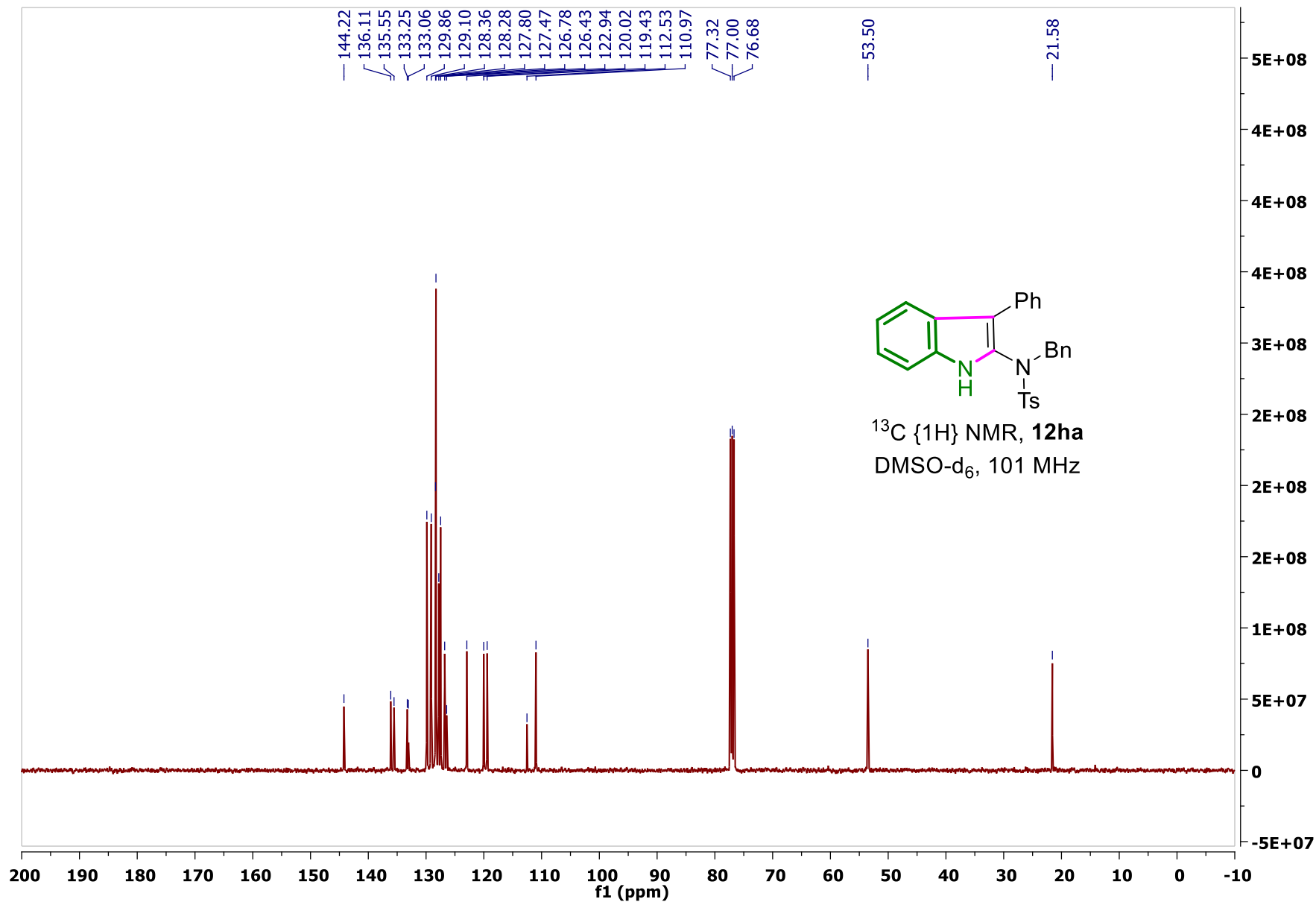


S111

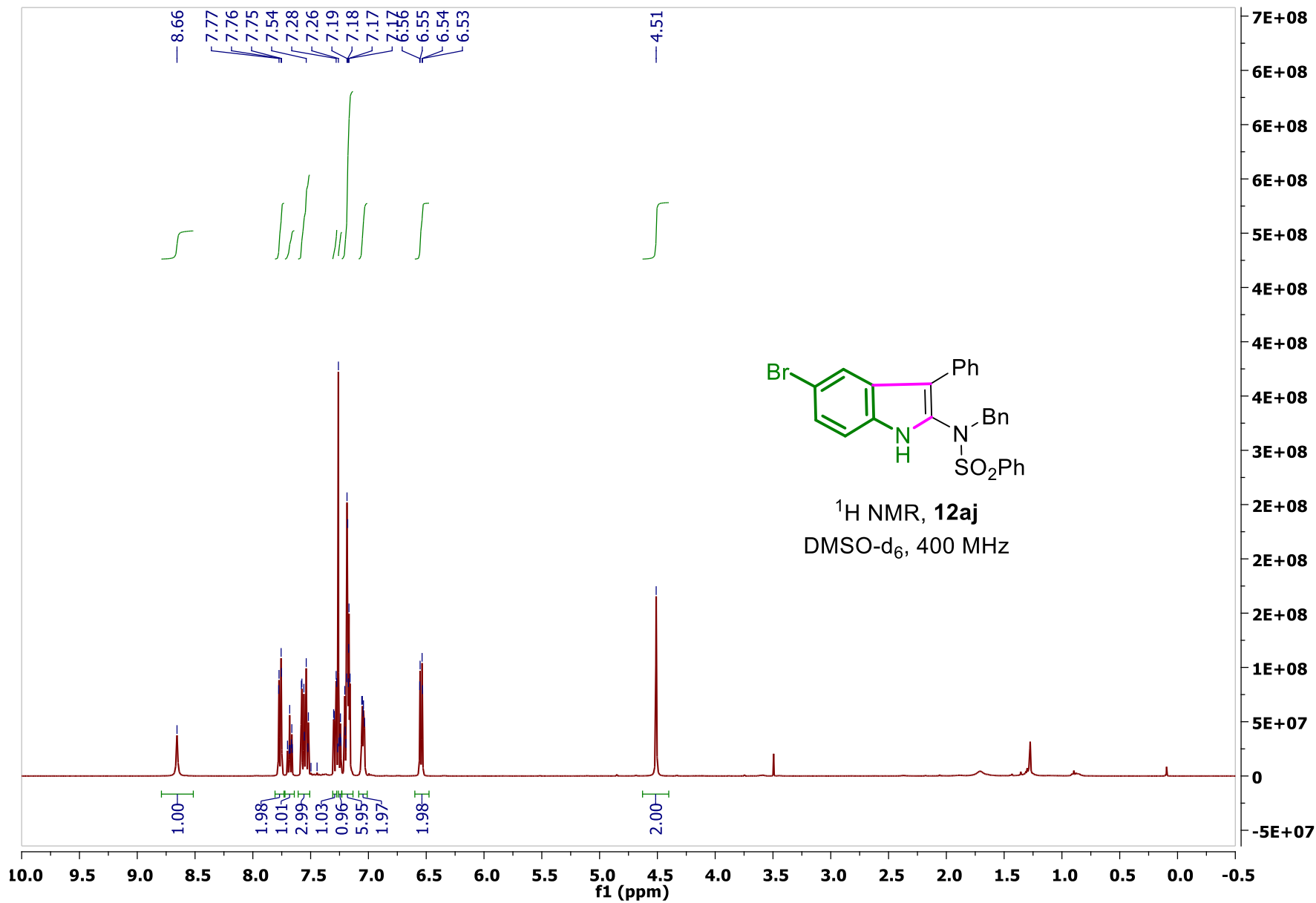




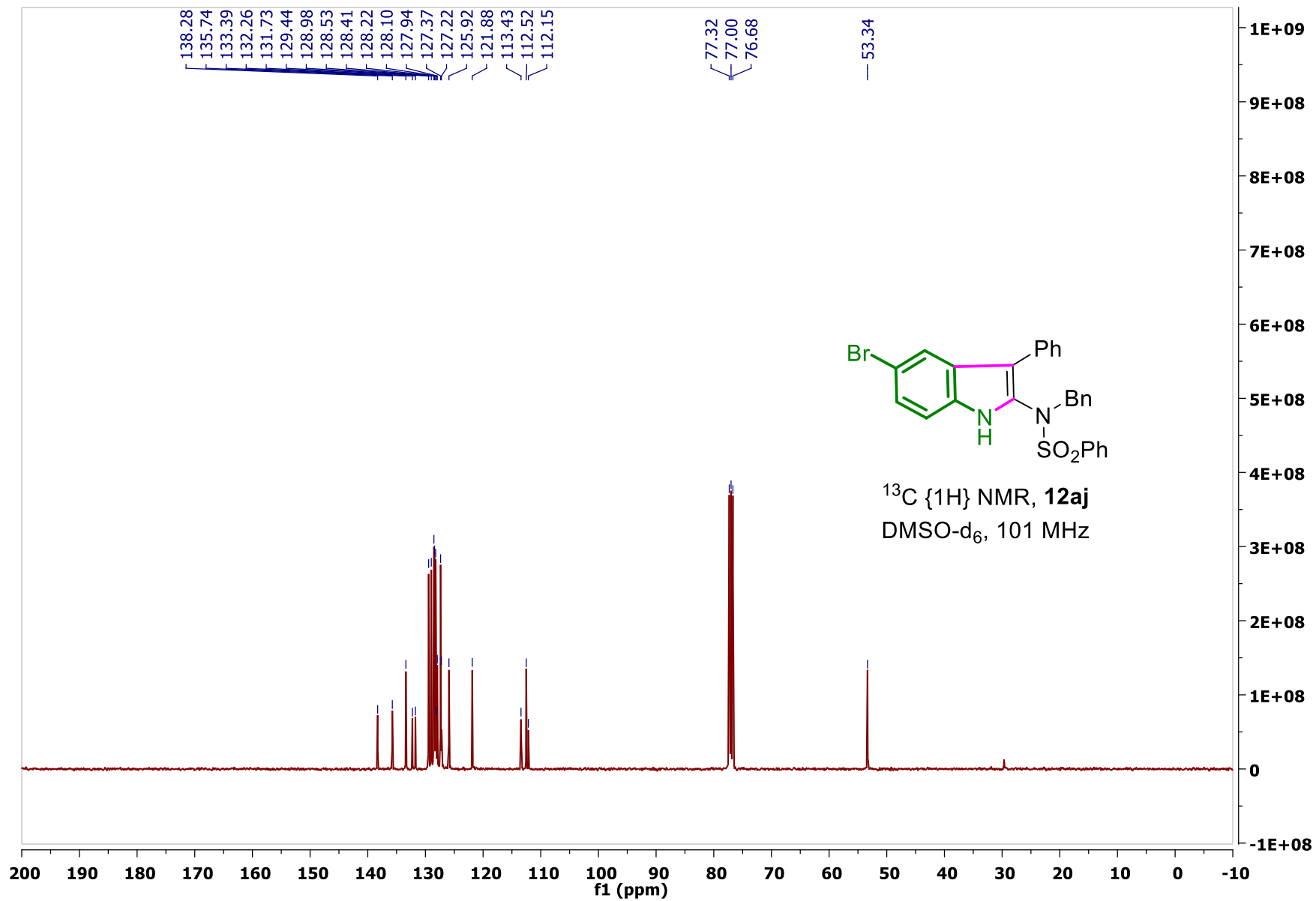
S113



S114



S115



S116