Supporting Information for:

Palladium-Catalyzed Dehydrogenative Difunctionalization of Aminoalkenes with Aminals as Oxidants and Electrophiles

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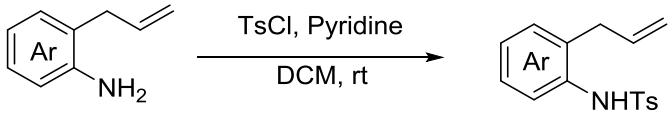
1. General experimental details and materials

All non-aqueous reactions and manipulations were using standard Schlenk techniques. All solvents before use were dried and degassed by standard methods and stored under nitrogen atmosphere. All reactions were monitored by TLC with silica gel-coated plates. NMR spectra were recorded on BRUKER Avence III 400 MHz spectrometers. Chemical shifts were reported in parts per million (ppm) down field from TMS with the solvent resonance as the internal standard. Data are reported as follows: chemical shift, multiplicity, coupling constants (Hz) and integration. Coupling constants (J) were reported in Hz and referred to apparent peak multiplications. High resolution mass spectra (HRMS) were recorded on Bruker MicroTOF-QII mass instrument (ESI). Unless otherwise noted, materials were purchased from commercial suppliers and used without further purification. All the solvents were treated according to general methods. Aminals used here were known compounds and synthesized according to the reported methods.[1] 2-allylaniline derivatives were prepared according to the reported methods.[2] Flash column chromatography was performed using 200-300 mesh silica gels.

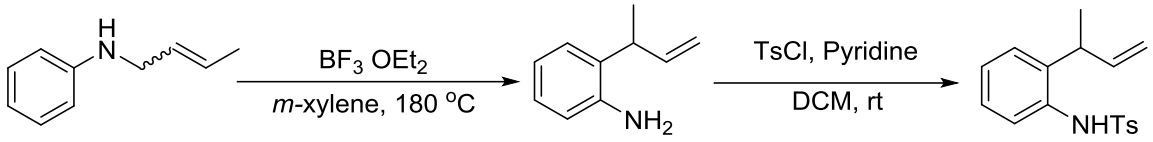
2. Preparation and spectral data of 2-allylaniline derivatives

General procedure A:



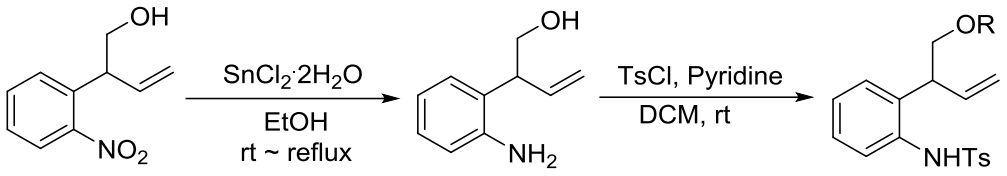
To a stirred solution of aryl substituted 2-allylaniline (5.4 mmol) in CH_2Cl_2 (50 mL), TsCl (6.0 mmol) and pyridine (16.2 mmol) were added at room temperature. The mixture was stirred at the same temperature overnight, then solvent was removed and the residue was purified by flash column chromatography to give the desired product as a white solid.

General procedure B:



To a solution of *N*-(but-2-en-1-yl)aniline (12.0 g, 81.6 mmol) in *m*-xylene (20 mL) under nitrogen, $BF_3{\cdot}OEt_2$ (11.5 g, 81.6 mmol) was added at room temperature and stirred at 180 °C for 18 hours. Then the mixture was cooled to 0 °C and the saturated solution of NaOH was added. The resulting solution was extracted with EA (50 mL×3), and the combined organic layers were dried over Na_2SO_4, filtered and concentrated under reduced pressure. The residue was purified by flash column chromatography to give the desired product as yellow oil (6.5 g, 54% yield).[2b] Then the yellow oil (750 mg, 5.1 mmol) was dissolved into CH_2Cl_2 (10 mL), TsCl (1.06 g, 5.6 mmol) and pyridine (1.21 g, 15.3 mmol) were added into the solution at room temperature. The mixture was stirred at the same temperature overnight, then solvent was removed and the residue was purified by flash column chromatography to give the desired product as a white solid (1.24 g, 81% yield).

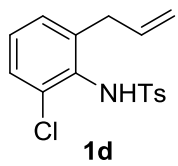
General procedure C:



To a solution of 2-(2-nitrophenyl)but-3-en-1-ol (800 mg, 4.14 mmol) in EtOH (25 mL) at room temperature under nitrogen, $SnCl_2{\cdot}2H_2O$ (4.67 g, 20.7 mmol) was added in one portion. The mixture was stirred at room temperature for one hour and for another hour at 60 °C. The reaction mixture was cooled to room temperature, and water (10 mL) was added. Solid $NaHCO_3$ was carefully added until the solution was basic. The mixture was then filtered to remove the solids formed (rinsed with EA), and the filtrate was extracted with EA (10 mL×5). The combined organic layers were washed with brine (10 mL×1), dried over Na_2SO_4, filtrated, and concentrated under reduced pressure to afford yellow oil, which was used in the next step without further purification.[2b] Then the yellow oil was dissolved into the CH_2Cl_2 (100 mL), TsCl (860 mg, 4.55 mmol) and pyridine (359 mg, 4.55 mmol) were added into the solution at room temperature. The mixture was stirred at the same temperature overnight, then solvent was removed and the residue was purified by flash column chromatography to give the desired product as a white solid (603 mg, 46% yield, over two steps).

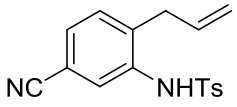
Spectral data of 2-allylaniline derivatives

***N*-(2-allyl-6-chlorophenyl)-4-methylbenzenesulfonamide (1d):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (73% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.4 Hz, 2H), 7.35-7.32 (m, 1H), 7.25-7.23 (m, 2H), 7.18-7.16 (m, 1H), 7.07-7.06 (m, 1H), 6.55 (br, 1H), 5.78-5.68 (m, 1H), 5.14 (d, *J* = 10.0 Hz, 1H), 4.96 (dd, J_1 = 1.2 Hz, J_2 = 17.2 Hz, 1H), 2.98 (d, *J* = 5.6 Hz, 2H), 2.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.1, 136.47, 134.72, 134.4, 133.5, 131.8, 130.3, 129.8, 127.7, 127.1, 126.1, 117.7, 35.8, 21.6. HRMS (ESI) calcd. for $C_{16}H_{16}ClNO_2SNa$ [M+Na]: 344.0482, found: 344.0495.



1d

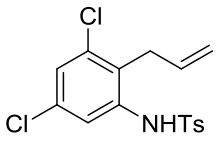
***N*-(2-allyl-5-cyanophenyl)-4-methylbenzenesulfonamide (1f):** The title compound was



1f

prepared according to the general procedure A and purified by column chromatography to give a white solid (35% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 1.2 Hz, 1H), 7.49 (d, *J* = 8.0 Hz, 2 H), 7.40 (dd, J_1 = 8.0, J_2 = 1.2 Hz, 1 H), 7.29 (d, *J* = 9.6 Hz, 2 H), 7.21 (d, *J* = 7.6 Hz, 1H), 6.69 (br, 1H), 5.82-5.72 (m, 1H), 5.21 (d, *J* = 10.4 Hz, 1H), 4.99 (d, *J* = 17.2 Hz, 1H), 3.15 (d, *J* = 6.0 Hz, 2H), 2.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.6, 136.2, 136.1, 133.9, 131.5, 130.0, 129.3, 127.1, 126.5, 118.4, 118.2, 111.7, 36.3, 21.6. HRMS (ESI) calcd. for $C_{17}H_{17}N_2O_2S$ [M+H]: 313.1005, found: 313.1002.

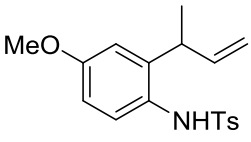
***N*-(2-allyl-3,5-dichlorophenyl)-4-methylbenzenesulfonamide (1h):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (70% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 8.4 Hz, 2H), 7.45 (d, *J* = 2.0 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 2H), 7.20 (d, *J* = 2.0 Hz, 1H), 6.72 (s, 1H), 5.80-5.70 (m, 1H), 5.11 (d, *J* = 10.4 Hz, 1H), 4.88 (d, *J* = 17.2 Hz, 1H), 3.24 (d, *J* = 5.6 Hz, 2H), 2.41 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 137.5, 136.2, 135.5, 133.2, 133.1, 129.9, 127.4, 127.2, 126.5, 121.8, 117.2, 32.1, 21.6. HRMS (ESI) calcd. for $C_{16}H_{15}Cl_2NO_2SNa$ [M+Na]: 378.0093, found: 378.0092.



1h

***N*-(2-(but-3-en-2-yl)phenyl)-4-methylbenzenesulfonamide (1i):** The title compound was prepared according to the general procedure B and purified by column chromatography to give a white solid (81 % yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (d, *J* = 8.4 Hz, 2H), 7.37-7.35 (m, 1H), 7.24-7.11 (m, 5H), 6.55 (s, 1H), 5.77-5.69 (m, 1H), 5.07 (dt, J_1 = 1.2 Hz, J_2 = 10.0 Hz, 1H), 4.95 (dt, J_1 = 1.6 Hz, J_2 = 17.6 Hz, 1H), 3.26-3.19 (m, 1H), 2.39 (s, 3H), 1.15 (d, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.8, 141.8, 137.4, 136.7, 134.2, 129.6, 127.4, 127.3, 127.2, 126.5, 124.9, 114.6, 37.7, 21.5, 19.2. HRMS (ESI) calcd. for $C_{17}H_{19}NO_2SNa$ [M+Na]: 324.1029, found: 324.1017.

1i

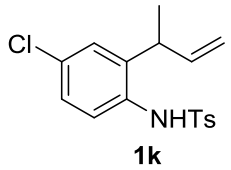


1j

***N*-(2-(but-3-en-2-yl)-4-methoxyphenyl)-4-methylbenzenesulfonamide (1j):** The title compound was prepared according to the general procedure B and purified by column chromatography to give a white solid (73% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58

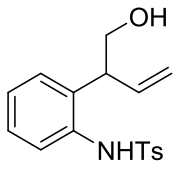
(d, J = 8.4 Hz, 2H), 7.23 (d, J = 8.0 Hz, 2H), 7.16 (d, J = 8.4 Hz, 1H), 6.69-6.65 (m, 2H), 6.50 (s, 1H), 5.72-5.63 (m, 1H), 5.00 (dt, J_1 = 1.6 Hz, J_2 = 10.0 Hz, 1H), 4.91 (dt, J_1 = 1.2 Hz, J_2 = 17.2 Hz, 1H), 3.76 (s, 3H), 3.31-3.25 (m, 1H), 2.39 (s, 3H), 1.08 (d, J = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.7, 143.6, 142.0, 141.8, 136.7, 129.5, 128.5, 127.3, 126.4, 114.1, 113.3, 111.6, 55.3, 37.3, 21.5, 19.3. HRMS (ESI) calcd. for $C_{18}H_{21}NO_3SNa$ [M+Na]: 354.1134, found: 354.1152.

***N*-(2-(but-3-en-2-yl)-4-chlorophenyl)-4-methylbenzenesulfonamide (1k):** The title compound was prepared according to the general procedure B and purified by column chromatography to give a white solid (59% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (d, J = 8.0 Hz, 2H), 7.31-7.29 (m, 1H), 7.28-7.23 (m, 2H), 7.16-7.09 (m, 2H), 6.64 (s, 1H), 5.72-5.64 (m, 1H), 5.08-5.05 (m, 1H), 4.94 (d, J = 17.2 Hz, 1H), 3.24-3.19 (m, 1H), 2.40 (s, 3H), 1.12 (d, J = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.0, 140.9, 139.9, 136.4, 132.7, 132.3, 129.7, 127.7, 127.3, 127.2, 126.5, 115.1, 37.5, 21.5, 19.1. HRMS (ESI) calcd. for $C_{17}H_{18}ClNO_2SNa$ [M+Na]: 358.0639, found: 358.0634.



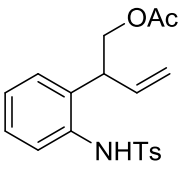
1k

***N*-(2-(1-hydroxybut-3-en-2-yl)phenyl)-4-methylbenzenesulfonamide (1l):** The title compound was prepared according to the general procedure C and purified by column chromatography to give a white solid (46% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (s, 1H), 7.58 (d, J = 8.4 Hz, 2H), 7.33-7.30 (m, 1H), 7.20-7.10 (m, 5H), 5.66-5.58 (m, 1H), 5.04 (d, J = 10.4 Hz, 1H), 4.77 (d, J = 17.2 Hz, 1H), 3.83-3.81 (m, 1H), 3.58-3.54 (m, 1H), 3.45-3.40 (m, 1H), 2.79 (s, 1H), 2.36 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.7, 136.9, 136.7, 136.5, 135.0, 129.6, 128.2, 127.4, 127.1, 126.9, 126.2, 116.7, 66.5, 45.3, 21.5. HRMS (ESI) calcd. for $C_{17}H_{19}NO_3SNa$ [M+Na]: 340.0978, found: 340.0994.



1l

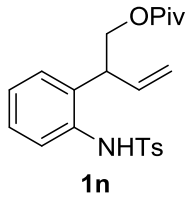
2-(2-((4-methylphenyl)sulfonamido)phenyl)but-3-en-1-yl acetate (1m): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (67% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, J = 8.4 Hz, 2H), 7.49-7.47 (m, 1H), 7.36 (s, 1H), 7.27-7.14 (m, 4H), 7.09-7.07 (m, 1H), 5.70-5.62 (m,



1m

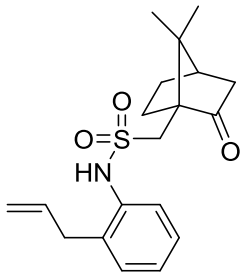
1H), 5.15 (d, *J* = 10.4 Hz, 1H), 4.90 (d, *J* = 17.2 Hz, 1H), 4.13-4.00 (m, 2H), 3.46-3.41 (m, 1H), 2.38 (s, 3H), 2.06 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 143.7, 136.8, 135.9, 134.7, 133.5, 129. 6, 128.0, 127.8, 127.1, 126.5, 125.8, 117.3, 66.7, 42.1, 21.5, 20.9. HRMS (ESI) calcd. for $C_{19}H_{21}NO_4SNa$ [M+Na]: 382.1083, found: 382.1084.

2-(2-((4-methylphenyl)sulfonamido)phenyl)but-3-en-1-yl pivalate (1n): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (68% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (d, *J* = 8.0 Hz, 2H), 7.52-7.50 (m, 1H), 7.42 (s, 1H), 7.25-7.15 (m, 4H), 7.08-7.06 (m, 1H), 5.69-5.60 (m, 1H), 5.12 (dt, J_1 = 1.2 Hz, J_2 = 10.4 Hz, 1H), 4.83 (dt, J_1 = 1.6 Hz, J_2 = 17.6 Hz, 1H), 4.06-3.93 (m, 2H), 3.32-3.27 (m, 1H), 2.37 (s, 3H), 1.16 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 179.1, 143.7, 136.9, 136.1, 134.7, 133.6, 129.5, 127.9, 127.6, 127.1, 126.6, 126.5, 117.3, 66.3, 42.2, 38.8, 27.1, 21.5. HRMS (ESI) calcd. for $C_{22}H_{27}NO_4SNa$ [M+Na]: 424.1553, found: 424.1574.



1n

***N*-(2-allylphenyl)-1-((1*R*,4*R*)-7,7-dimethyl-2-oxobicyclo[2.2.1]heptan-1-yl)methanesulfonamide (1q):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (65% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 8.0 Hz, 1H), 7.28-7.23 (m, 2H), 7.19-7.16 (m, 1H), 6.03-5.93 (m, 1H), 5.18-5.06 (m, 2H), 3.58-3.45 (m, 3H), 3.01 (d, *J* = 15.2 Hz, 1H), 2.47 (dt, J_1 = 18.4 Hz, J_2 = 4.4 Hz, 1H), 2.32-2.26 (m, 1H), 2.15 (t, *J* = 4.4 Hz, 1H), 2.09-1.95 (m, 3H), 1.50-1.43 (m, 1H), 1.05 (s, 3H), 0.90 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 216.5, 135.9, 135.4, 132.7, 130.8, 127.6, 126.0, 123.2, 116.9, 59.4, 53.5, 50.4, 48.6, 42.9, 36.1, 27.0, 26.7, 19.9, 19.7. HRMS (ESI) calcd. for $C_{19}H_{26}NO_3S$ [M+H]: 348.1628, found: 348.1624.

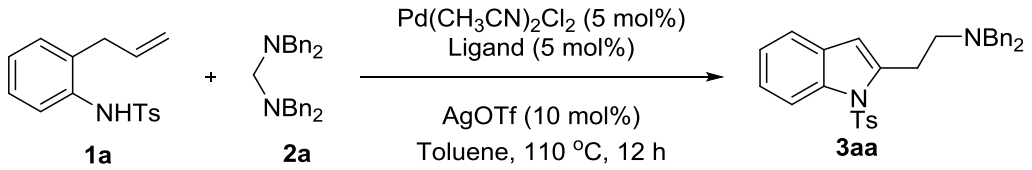


1q

3. Optimization of the reaction conditions

Under nitrogen atmosphere, **1a** (72 mg, 0.25 mmol), **2a** (203 mg, 0.5 mmol), catalyst and silver salt were added into a 25 mL Young-type tube. Then toluene (1 mL) was injected into the reaction mixture. Subsequently, the resulting mixture was stirred at the corresponding temperature for 12 hours. The crude product was purified by flash chromatography on silica gels (PE/EA = 30:1 to 15:1) directly to give the desired product **3aa** as a white solid.

Table S1. Screening of phosphine ligand [a]



entry	ligand	yield (%)[b]
1	DPPM	NR
2	DPPE	trace
3	DPPP	52
4	DPPB	53
5	DPPPen	43
6	DPPHex	trace
7	Xantphos	trace
8	DPPF	30
9	BINAP	69
10[c]	PPh_3	21
11	L1	trace
12	L2	trace
13	L3	trace
14	L4	trace

[a]Reaction conditions: **1a** (0.25 mmol), **2a** (0.5 mmol), $Pd(CH_3CN)_2Cl_2$ (5 mol %), ligand (5.5 mol %), AgOTf (10 mol %) and toluene (1 mL) for 12 h. [b]Isolated yield. [c]Ligand (10 mol%).

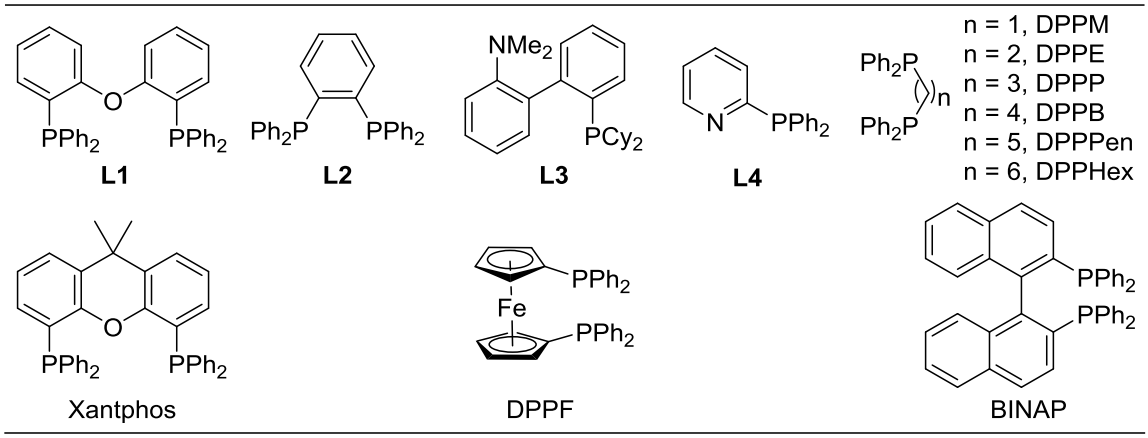
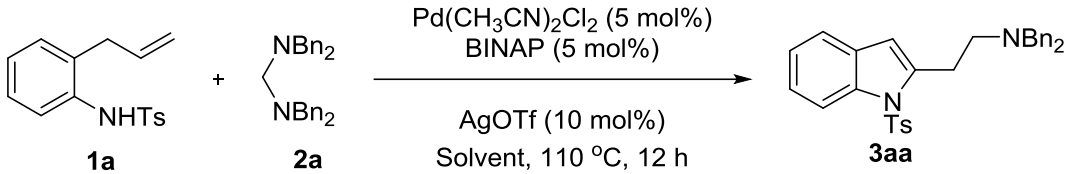


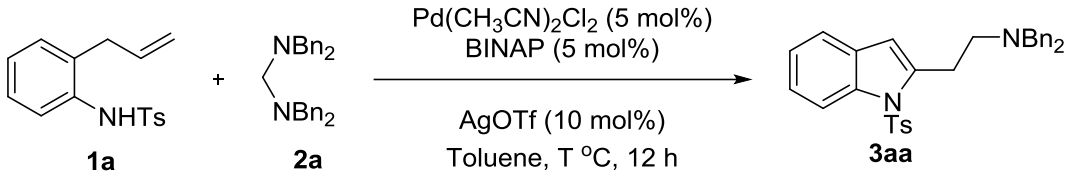
Table S2. Screening of solvent [a]



entry	solvent	yield (%)[b]
1	toluene	69
2	DCM	37
3	1,4-Dioxane	57
4	THF	48
5	CH_3CN	54
6	DMSO	48
7	MeOH	41
8	^{i}PrOH	56
9	DMF	34
10	*m*-xylene	67

[a]Reaction conditions: **1a** (0.25 mmol), **2a** (0.5 mmol), $Pd(CH_3CN)_2Cl_2$ (5 mol %), BINAP (5.5 mol %), AgOTf (10 mol %) and solvent (1 mL) for 12 h. [b]Isolated yield.

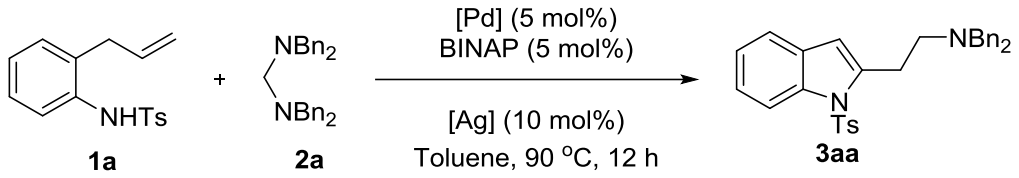
Table S3. Screening of temperature [a]



entry	*t* (°C)	yield (%)[b]
1	110	69
2	90	73
3	70	49
4	50	53
5	30	trace

[a]Reaction conditions: **1a** (0.25 mmol), **2a** (0.5 mmol), $Pd(CH_3CN)_2Cl_2$ (5 mol %), BINAP (5.5 mol %), AgOTf (10 mol %) and toluene (1 mL) for 12 h. [b]Isolated yield.

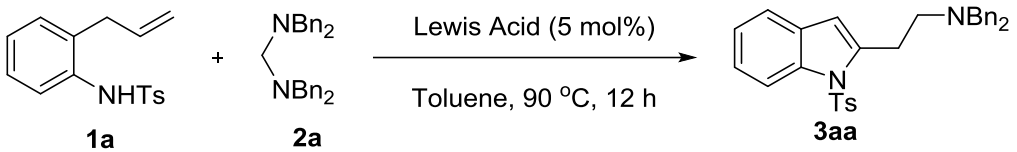
Table S4. Screening of the palladium and silver salt[a]



entry	[Pd]	[Ag]	yield (%)[b]
1	$[Pd(allyl)Cl]_2$	AgOTf	72
2	PdI_2	AgOTf	trace
3	$Pd(TFA)_2$	--	NR
4	$Pd_2(dba)_3$	HOTf	trace
5	$Pd(COD)Cl_2$	AgOTf	73
6	$Pd(COD)Cl_2$	$AgBF_4$	61
7	$Pd(COD)Cl_2$	$AgClO_4$	68
8	$Pd(COD)Cl_2$	AgTFA	8
9	$Pd(COD)Cl_2$	$AgSbF_6$	41
10	$Pd(BINAP)Cl_2$	AgOTf	81
11	$Pd(BINAP)Cl_2$	--	trace
12	--	AgOTf	NR

[a]Reaction conditions: **1a** (0.25 mmol), **2a** (0.5 mmol), [Pd] (5 mol %), BINAP (5.5 mol %), [Ag] (10 mol %) and toluene (1 mL) for 12 h. [b]Isolated yield.

Table S5. Screening of the Lewis acid [a]

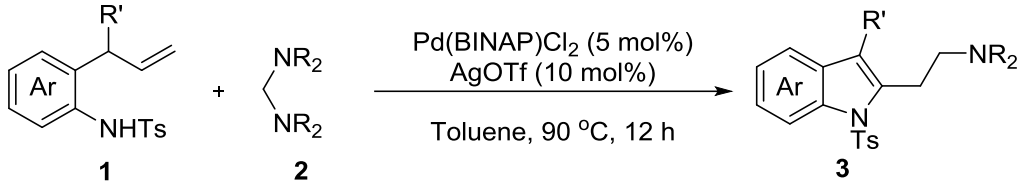


entry	Lewis acid	yield (%)[b]
1	$Zn(OTf)_2$	NR
2	$Sc(OTf)_3$	NR
3	$Cu(OTf)_2$	NR
4	$Yb(OTf)_3$	NR
5	$FeCl_3$	NR
6	$AlCl_3$	NR
7	$PdCl_2$	NR
8	$NiCl_2$	NR

[a]Reaction conditions: **1a** (0.25 mmol), **2a** (0.5 mmol), Lewis acid (5 mol %) and toluene (1 mL) for 12 h. [b]Isolated yield.

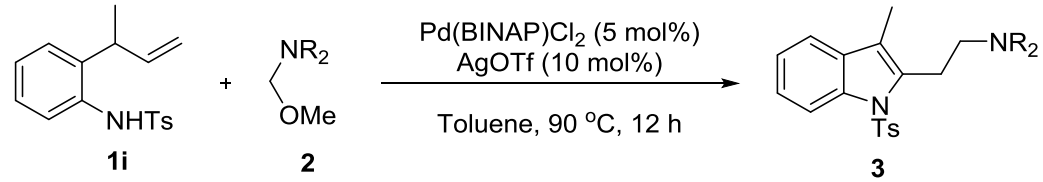
4. General procedure for the catalytic reaction and spectral data of products

4.1 General procedure for the catalytic reaction with aminal (A)



The mixture of Pd(BINAP)Cl_2 (5 mol %), AgOTf (10 mol %) and toluene (1 mL) were added into a Young-type tube under nitrogen. Then the substrates **1** (0.25 mmol) and **2** (0.5 mmol) were added. The resulting mixture was stirred at 90 ^oC under N_2 for 12 h. The solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (PE/EA = 50:1 to 15:1) on a silica gel to give the desired product **3**.

General procedure for the catalytic reaction with *N,O*-aminal (B)

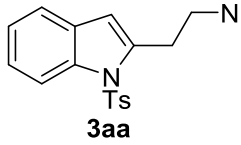


The mixture of Pd(BINAP)Cl_2 (5 mol %), AgOTf (10 mol %) and toluene (1 mL) were added into a Young-type tube under nitrogen. Then the substrates **1i** (0.25 mmol) and *N,O*-aminal (0.5 mmol) were added. The resulting mixture was stirred at 90 ^oC under N_2 for 12 h. The solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (PE/EA = 50:1 to 15:1) on a silica gel to give the desired product **3**.

4.2. Experimental characterization data for new products

***N,N*-dibenzyl-2-(1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3aa):** The title compound was prepared according to the general procedure A and purified by column chromatography to

give a white solid (100 mg, 81% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.0 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.34-7.16 (m, 13H), 7.11-7.09 (m, 2H), 6.21 (s, 1H), 3.65 (s, 4H), 3.21 (t, *J* = 7.2 Hz, 2H), 2.90 (t, *J* = 7.2 Hz, 2H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.8, 140.1, 139.6, 137.2, 136.1, 129.9, 129.8, 128.8, 128.2, 126.9, 126.2, 123.8, 123.5, 120.1, 114.9, 109.7, 58.3, 52.9, 26.8, 21.5. HRMS (ESI) calcd. for $C_{31}H_{31}N_2O_2S$ [M+H]: 495.2101, found: 495.2111. The compound was characterized by single X-ray diffraction analysis.



3aa

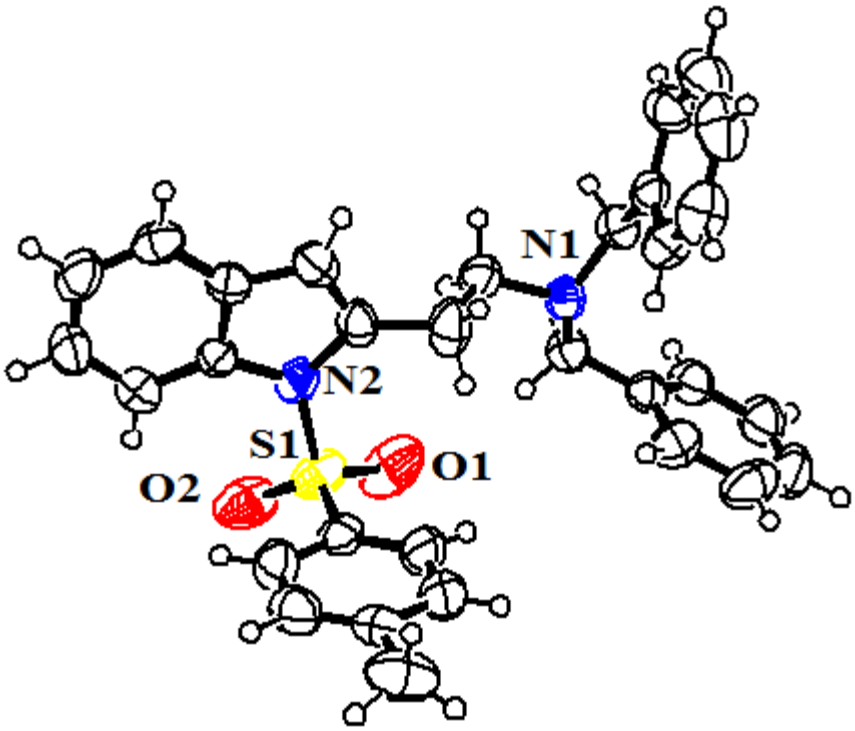
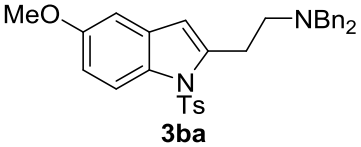


Figure S1: X-ray structure of **3aa**

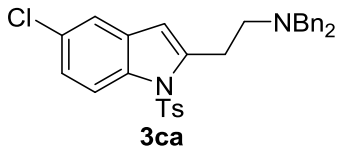
***N,N*-dibenzyl-2-(5-methoxy-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ba):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (79 mg, 60% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (d, *J* = 1.2 Hz, 1H), 7.49 (d, *J* = 1.2 Hz, 2H), 7.34-7.21 (m, 10H), 7.10-7.08 (m, 2H), 6.86-6.80 (m, 2H), 6.15 (s, 1H), 3.80 (s, 3H), 3.65 (s, 4H), 3.18 (t, *J* = 7.6 Hz, 2H), 2.90 (t, *J* = 7.6 Hz, 2H), 2.28 (s, 3H); ^{13}C



3ba

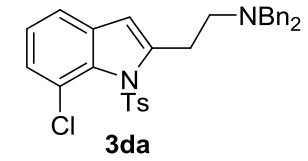
NMR (100 MHz, $CDCl_3$) δ 156.5, 144.5, 141.0, 139.6, 136.0, 131.8, 130.9, 129.7, 128.8, 128.2, 126.9, 126.2, 115.8 112.3, 110.0, 102.8, 58.3, 55.6, 52.9, 26.9, 21.5. HRMS (ESI) calcd. for $C_{32}H_{33}N_2O_3S$ [M+H]: 525.2206, found: 525.2221.

***N,N*-dibenzyl-2-(5-chloro-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ca):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (81 mg, 61% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.8 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 2H), 7.32-7.18 (m, 12H), 7.12-7.10 (m, 2H), 6.12 (s, 1H), 3.63 (s, 4H), 3.17 (t, *J* = 6.8 Hz, 2H), 2.88 (t, *J* = 7.2 Hz, 2H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.9, 141.7, 139.5, 135.8, 135.5, 131.1, 129.9, 129.2, 128.8, 128.2, 126.9, 126.2, 123.9, 119.7, 115.9, 109.0, 58.4, 52.7, 26.9, 21.5. HRMS (ESI) calcd. for $C_{31}H_{30}ClN_2O_2S$ [M+H]: 529.1711, found: 529.1726.



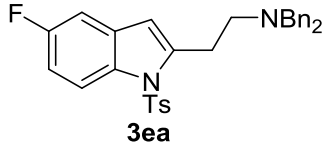
3ca

***N,N*-dibenzyl-2-(7-chloro-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3da):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (91 mg, 69% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 9.2 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 2H), 7.32-7.18 (m, 12H), 7.12-7.10 (m, 2H), 6.12 (s, 1H), 3.63 (s, 4H), 3.17 (t, *J* = 6.8 Hz, 2H), 2.88 (t, *J* = 7.2 Hz, 2H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.9, 141.7, 139.5, 135.8, 135.5, 131.1, 129.9, 129.2, 128.8, 128.3, 127.0, 126.2, 123.9, 119.7, 115.9, 109.0, 58.4, 52.6, 26.9, 21.6. HRMS (ESI) calcd. for $C_{31}H_{30}ClN_2O_2S$ [M+H]: 529.1711, found: 529.1727.



3da

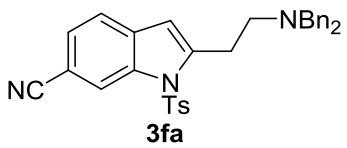
***N,N*-dibenzyl-2-(5-fluoro-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ea):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (106 mg, 82% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (q, *J* = 4.4 Hz, 1H), 7.51 (d, *J* = 8.4 Hz, 2H), 7.33-7.21 (m, 10H), 7.12 (d, *J* = 8.0 Hz, 2H), 7.00-6.93 (m, 2H), 6.15 (s, 1H), 3.63 (s, 4H), 3.16 (t, *J* = 7.2 Hz, 2H), 2.87 (t, *J* = 7.2 Hz, 2H), 2.29 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.9, 158,6,



3ea

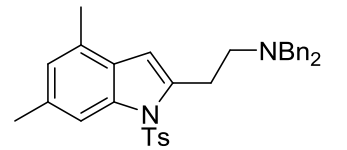
144.8, 142.0, 139.5, 135.8, 133.4, 131.0, 130.9, 129.9, 128.8, 128.2, 126.9, 126.2, 116.0, 115.9, 111.7, 111.4, 109.6, 109.6, 105.8, 105.5, 58.4, 52.7, 26.9, 21.6. ^{19}F NMR (400 MHz, $CDCl_3$) δ -119.98. HRMS (ESI) calcd. for $C_{31}H_{30}FN_2O_2S$ [M+H]: 513.2007, found: 513.2000.

2-(2-(dibenzylamino)ethyl)-1-tosyl-1*H*-indole-6-carbonitrile (3fa): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid. (84 mg, 65% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.55 (d, *J* = 8.4 Hz, 2H), 7.46-7.40 (m, 2H), 7.32-7.22 (m, 10H), 7.17 (d, *J* = 8.4 Hz, 2H), 6.21 (s, 1H), 3.63 (s, 4H), 3.19 (t, *J* = 7.2 Hz, 2H), 2.88 (t, *J* = 6.8 Hz, 2H), 2.32 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.5, 144.1, 139.3, 136.0, 135.5, 133.1, 130.1, 129.9, 128.8, 127.0, 126.7, 126.3, 120.9, 120.0, 119.0, 109.2, 106.6, 58.5, 52.4, 26.8, 21.6. HRMS (ESI) calcd. for $C_{32}H_{30}N_3O_2S$ [M+H]: 520.2053, found: 520.2045.



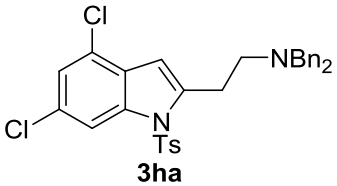
3fa

***N,N*-dibenzyl-2-(4,6-dimethyl-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ga):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (121 mg, 93% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (s, 1H), 7.53-7.51 (m, 2H), 7.34-7.20 (m, 10H), 7.10-7.08 (m, 2H), 6.83 (s, 1H), 6.24 (s, 1H), 3.63 (s, 4H), 3.20 (t, *J* = 7.6 Hz, 2H), 2.90 (t, *J* = 6.6 Hz, 2H), 2.42 (s, 3H), 2.33 (s, 3H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 139.7, 138.7, 137.4, 136.4, 133.8, 129.8, 129.0, 128.8, 128.2, 127.0, 126.8, 126.2, 125.5, 112.6, 108.0, 58.3, 52.8, 26.8, 22.0, 21.5, 18.4. HRMS (ESI) calcd. for $C_{33}H_{35}N_2O_2S$ [M+H]: 523.2414, found: 523.2409.



3ga

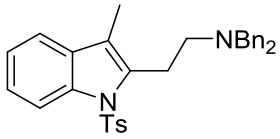
***N,N*-dibenzyl-2-(4,6-dichloro-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ha):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (138 mg, 98% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (d, *J* = 1.2 Hz, 1H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.33-7.20 (m, 11H), 7.16-7.14 (m, 2H), 6.37 (s, 1H), 3.62 (s, 4H), 3.16 (t, *J* = 7.2 Hz, 2H), 2.88 (t, *J*



3ha

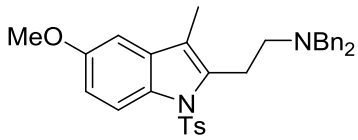
= 7.2 Hz, 2H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.4, 141.5, 139.4, 137.5, 135.6, 130.1, 129.7, 128.8, 128.3, 127.2, 127.0, 126.3, 125.6, 123.7, 113.7, 107.3, 58.5, 52.5, 26.8, 21.6. HRMS (ESI) calcd. for $C_{31}H_{29}Cl_2N_2O_2S$ [M+H]: 563.1321, found: 563.1322.

***N,N*-dibenzyl-2-(3-methyl-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ia):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (109 mg, 86% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.0 Hz, 1H), 7.49-7.47 (m, 2H), 7.39-7.38 (m, 4H), 7.31-7.25 (m, 6H), 7.23-7.19 (m, 3H), 7.10-7.08 (m, 2H), 3.72 (s, 4H), 3.19 (t, *J* = 7.2 Hz, 2H), 2.81 (t, *J* = 8.0 Hz, 2H), 2.29 (s, 3H), 1.92 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.3, 134.0, 136.6, 136.1, 135.2, 131.4, 129.7, 128.7, 128.2, 126.8, 126.2, 124.1, 123.3, 118.3, 117.6, 115.2, 58.4, 53.7, 24.9, 21.5, 8.7. HRMS (ESI) calcd. for $C_{32}H_{33}N_2O_2S$ [M+H]: 509.2257, found: 509.2267.



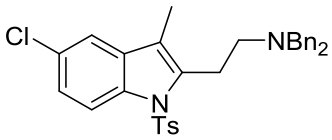
3ia

***N,N*-dibenzyl-2-(5-methoxy-3-methyl-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ja):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (117 mg, 87% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, *J* = 9.2 Hz, 1H), 7.46-7.44 (m, 2H), 7.39-7.37 (m, 4H), 7.29-7.18 (m, 6H), 7.08-7.06 (m, 2H), 6.86-6.83 (m, 1H), 6.73 (d, *J* = 2.8 Hz, 1H), 3.80 (s, 3H), 3.71 (s, 4H), 3.16 (t, *J* = 7.2 Hz, 2H), 2.80 (t, *J* = 8.0 Hz, 2H), 2.27 (s, 3H), 1.89 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.6, 144.2, 134.0, 136.2, 135.9, 132.6, 131.2, 129.6, 128.7, 128.2, 126.8, 126.2, 117.8, 116.2, 112.3, 101.3, 58.4, 55.6, 53.7, 25.0, 21.5, 8.9. HRMS (ESI) calcd. for $C_{33}H_{35}N_2O_3S$ [M+H]: 539.2363, found: 539.2379.



3ja

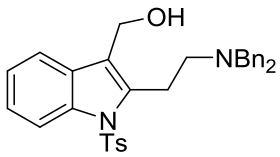
***N,N*-dibenzyl-2-(5-chloro-3-methyl-1-tosyl-1*H*-indol-2-yl)ethan-1-amine (3ka):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (110 mg, 81% yield). ^{1}H NMR (400 MHz,



3ka

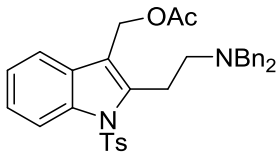
$CDCl_3$) δ 8.06 (d, *J* = 8.8 Hz, 1H), 7.46-7.44 (m, 2H), 7.38-7.36 (m, 4H), 7.28-7.18 (m, 8H), 7.11-7.09 (m, 2H), 3.70 (s, 4H), 3.15 (t, *J* = 7.6 Hz, 2H), 2.80 (t, *J* = 8.0 Hz, 2H), 2.29 (s, 3H), 1.88 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.7, 139.9, 136.9, 135.8, 134.9, 132.8, 129.8, 129.2, 128.7, 128.2, 126.8, 126.2, 124.1, 118.1, 117.1, 116.2, 58.4, 53.6, 25.0, 21.6, 8.7. HRMS (ESI) calcd. for $C_{32}H_{32}ClN_2O_2S$ [M+H]: 543.1868, found: 543.1882.

(2-(2-(dibenzylamino)ethyl)-1-tosyl-1*H*-indol-3-yl)methanol (3la): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (73 mg, 56% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05-8.02 (m, 1H), 7.55-7.53 (m, 1H), 7.47-7.45 (m, 2H), 7.28-7.24 (m, 6H), 7.14-7.11 (m, 6H), 7.09-7.07 (m, 2H), 4.49 (s, 2H), 3.65 (s, 4H), 2.95 (t, *J* = 5.2 Hz, 2H), 2.88 (t, *J* = 6.4 Hz, 2H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.6, 137.5, 137.4, 136.6, 136.1, 129.8, 129.5, 128.2, 127.2, 126.1, 124.3, 123.6, 122.5, 118.5, 115.1, 60.1, 53.9, 52.2, 25.7, 21.5. HRMS (ESI) calcd. for $C_{32}H_{33}N_2O_3S$ [M+H]: 525.2206, found: 525.2221.



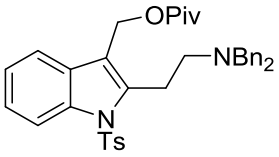
3la

(2-(2-(dibenzylamino)ethyl)-1-tosyl-1*H*-indol-3-yl)methyl acetate (3ma): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (79 mg, 56% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.0 Hz, 1H), 7.54-7.52 (m, 2H), 7.46-7.44 (m, 1H), 7.40-7.38 (m, 4H), 7.29-7.19 (m, 8H), 7.13-7.11 (m, 2H), 4.98 (s, 2H), 3.74 (s, 4H), 3.31 (t, *J* = 7.6 Hz, 2H), 2.87 (t, *J* = 7.6 Hz, 2H), 2.30 (s, 3H), 1.88 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.8, 144.8, 139.9, 139.3, 136.0, 136.0, 129.9, 129.5, 128.7, 128.3, 126.9, 126.3, 124.5, 123.7, 118.7, 116.2, 115.0, 58.3, 56.6, 54.7, 24.7, 21.6, 20.9. HRMS (ESI) calcd. for $C_{34}H_{35}N_2O_4S$ [M+H]: 567.2312, found: 567.2339.



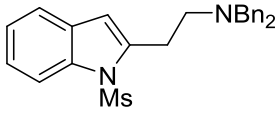
3ma

(2-(2-(dibenzylamino)ethyl)-1-tosyl-1*H*-indol-3-yl)methyl pivalate (3na): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (100 mg, 66% yield). ^{1}H NMR (400 MHz, $CDCl_3$)



3na

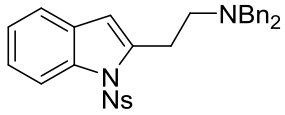
δ 8.13 (d, *J* = 8.0 Hz, 1H), 7.49-7.44 (m, 3H), 7.38-7.36 (m, 4H), 7.28-7.20 (m, 8H), 7.11-7.09 (m, 2H), 4.97 (s, 2H), 3.73 (s, 4H), 3.33 (t, *J* = 8.0 Hz, 2H), 2.87 (t, *J* = 8.0 Hz, 2H), 2.29 (s, 3H), 1.05 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.2, 144.7, 139.8, 139.2, 136.6, 135.9, 129.8, 128.7, 128.2, 126.9, 126.2, 124.4, 123.8, 118.8, 117.0, 115.2, 58.3, 56.8, 54.7, 38.8, 27.1, 24.6, 21.5. HRMS (ESI) calcd. for $C_{37}H_{41}N_2O_4S$ [M+H]: 609.2782, found: 609.2798.



3oa

***N,N*-dibenzyl-2-(1-(methylsulfonyl)-1*H*-indol-2-yl)ethan-1-amine (3oa):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (84 mg, 80% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94-7.91 (m, 1H), 7.47-7.45 (m, 1H), 7.34-7.33 (m, 4H), 7.27-7.18 (m, 8H), 6.35 (s, 1H), 3.67 (s, 4H), 3.19 (t, *J* = 7.2 Hz, 2H), 2.88 (t, *J* = 7.2 Hz, 2H), 2.79 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 140.2, 139.6, 136.7, 129.8, 128.8, 128.2, 126.9, 124.0, 123.6, 120.3, 114.1, 109.6, 58.4, 53.0, 40.2, 26.7. HRMS (ESI) calcd. for $C_{25}H_{27}N_2O_2S$ [M+H]: 419.1788, found: 419.1807.

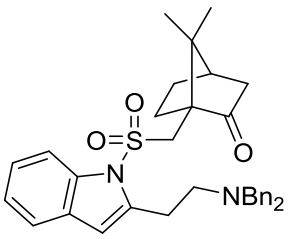
***N,N*-dibenzyl-2-(1-((4-nitrophenyl)sulfonyl)-1*H*-indol-2-yl)ethan-1-amine (3pa):**



3pa

The title compound was prepared according to the general procedure A and purified by column chromatography to give a yellow solid (82 mg 63%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13-8.08 (m, 3H), 7.75 (d, *J* = 8.8 Hz, 2H), 7.37-7.21 (m, 13H), 6.27 (s, 1H), 3.66 (s, 1H), 3.15 (t, *J* = 7.2 Hz, 2H), 2.88 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 150.4, 143.9, 139.9, 139.5, 136.9, 130.1, 128.8, 128.3, 127.4, 127.0, 124.5, 124.4, 124.4, 120.5, 114.8, 111.3, 58.6, 52.9, 27.2. HRMS (ESI) calcd. for $C_{30}H_{28}N_3O_4S$ [M+H]: 526.1795, found: 526.1787.

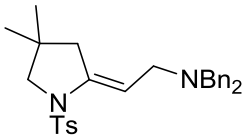
(1*R*,4*R*)-1-(((2-(2-(dibenzylamino)ethyl)-1*H*-indol-1-yl)sulfonyl)methyl)-7,7-dimethylbicyclo[2.2.1]heptan-2-one (3qa): The title compound was prepared according to the general procedure A and purified by column chromatography to give a yellow solid (108 mg 78%). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 8.0 Hz, 1H), 7.46-7.44 (m, 1H),



3qa

7.35-7.33 (m, 4H), 7.30-7.18 (m, 8H), 6.31 (s, 1H), 3.72 (dd, J_1 = 18.0 Hz, J_2 = 14.0 Hz, 4H), 3.40 (d, J = 14.8 Hz, 1H), 3.25 (t, J = 6.8 Hz, 2H), 2.93-2.86 (m, 3H), 2.55-2.48 (m, 1H), 2.38 (dt, J_1 = 18.4 Hz, J_2 = 4.4 Hz, 1H), 2.10-2.03 (m, 2H), 1.95 (d, J = 18.4 Hz, 1H), 1.47-1.41 (m, 1H), 1.13 (s, 3H), 0.78 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 214.0, 140.3, 139.7, 136.8, 129.7, 128.8, 128.4, 128.2, 126.8, 124.0, 123.5, 120.3, 114.2, 109.2, 58.4, 58.2, 52.8, 50.0, 47.9, 42.9, 42.5, 26.9, 26.5, 25.3, 20.0, 19.8. HRMS (ESI) calcd. for $C_{34}H_{39}N_2O_3S$ [M+H]: 555.2676, found: 555.2669.

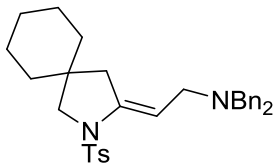
(*E*)-*N*,*N*-dibenzyl-2-(4,4-dimethyl-1-tosylpyrrolidin-2-ylidene)ethan-1-amine (3sa):



3sa

The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (19 mg, 31% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, J = 8.0 Hz, 2H), 7.34-7.28 (m, 8H), 7.25-7.23 (m, 2H), 7.08 (d, J = 8.0 Hz, 2H), 5.77 (t, J = 7.2 Hz, 1H), 3.45 (s, 4H), 3.34 (s, 2H), 2.94 (d, J = 7.2 Hz, 2H), 2.27 (s, 3H), 1.94 (s, 2H), 0.95 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.8, 140.2, 139.8, 134.6, 129.4, 128.7, 128.2, 127.5, 126.8, 104.1, 62.8, 57.6, 51.7, 43.1, 34.8, 25.8, 21.5. HRMS (ESI) calcd. for $C_{29}H_{35}N_2O_2S$ [M+H]: 475.2414, found: 419.2410.

(*E*)-*N*,*N*-dibenzyl-2-(2-tosyl-2-azaspiro[4.5]decan-3-ylidene)ethan-1-amine (3ta): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (27 mg, 42% yield).



3ta

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, J = 8.4 Hz, 2H), 7.31-7.28 (m, 8H), 7.25-7.21 (m, 2H), 7.07 (d, J = 8.0 Hz, 2H), 5.74(t, J = 7.2 Hz, 1H), 3.44 (s, 4H), 3.41 (s, 2H), 2.93 (d, J = 7.2 Hz, 2H), 2.26 (s, 3H), 1.99 (s, 2H), 1.43-1.21 (m, 10H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.7, 140.1, 139.8, 134.7, 129.4, 128.7, 128.2, 127.4, 126.8, 104.0, 60.7, 57.7, 51.7, 40.5, 38.4, 35.0, 25.9, 23.0, 21.4. HRMS (ESI) calcd. for $C_{32}H_{39}N_2O_2S$ [M+H]: 515.2727, found: 515.2724.

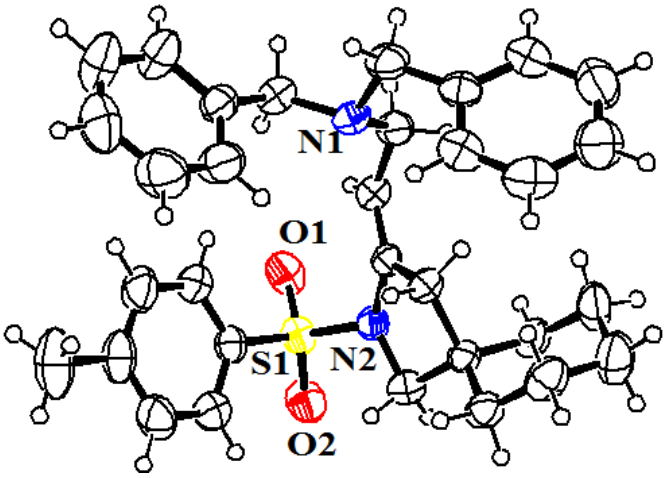
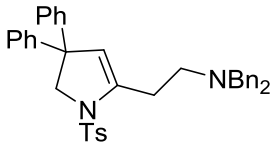


Figure S2: X-ray structure of **3ta**

***N,N*-dibenzyl-2-(4,4-diphenyl-1-tosyl-4,5-dihydro-1H-pyrrol-2-yl)ethan-1-amine**



3ua

(3ua): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (28 mg, 38% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J* = 8.0 Hz, 2H), 7.30-7.21 (m, 10H), 7.17-7.14 (m, 6H), 7.02 (d, *J* = 8.0 Hz, 2H), 6.92-6.90 (m, 4H), 5.23 (s, 1H), 4.33 (s, 2H), 3.57 (s, 4H), 2.82-2.77 (m, 4H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 146.8, 143.5, 141.3, 139.6, 134.5, 129.6, 129.0, 128.3, 128.2, 127.3, 127.1, 126.9, 126.2, 117.7, 63.7, 58.3, 56.2, 51.5, 26.5, 21.5. HRMS (ESI) calcd. for $C_{39}H_{38}N_2O_2SNa$ [M+Na]: 621.2546, found: 621.2546.

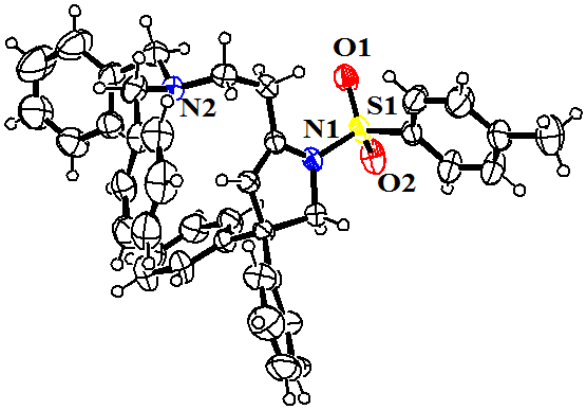
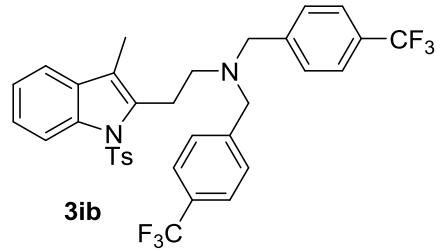


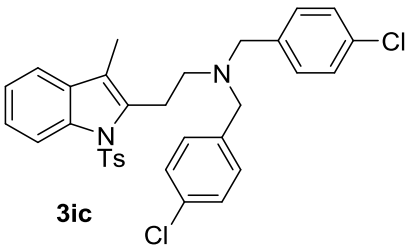
Figure S3: X-ray structure of **3ua**

2-(3-methyl-1-tosyl-1H-indol-2-yl)-N,N-bis(4-(trifluoromethyl)benzyl)ethan-1-amine (3ib): The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (132 mg, 82% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.0 Hz, 1H), 7.51-7.44 (m, 10H), 7.31-7.22 (m, 3H), 7.08-7.06 (m, 2H), 3.75 (s, 4H), 3.21 (t, *J* = 7.2 Hz, 2H), 2.83 (t, *J* = 7.2 Hz, 2H), 2.26 (s, 3H), 1.92 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 143.9, 136.6, 135.8, 134.7, 131.4, 129.7, 129.3 (q, *J* = 32.0 Hz), 128.8, 127.3, 125.2 (q, *J* = 3.6 Hz), 124.3, 124.3 (q, *J* = 270.2 Hz), 123.6, 118.4, 118.0, 115.3, 58.2, 54.1, 24.8, 21.5, 8.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.28 (s, 6F); HRMS (ESI) calcd. for $C_{34}H_{31}F_6N_2O_2S$ [M+H]: 645.2005, found: 645.2032.



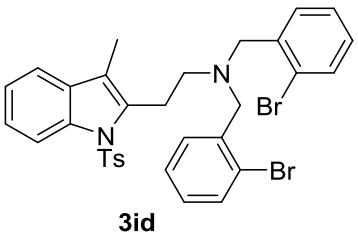
3ib

***N,N*-bis(4-chlorobenzyl)-2-(3-methyl-1-tosyl-1H-indol-2-yl)ethan-1-amine (3ic):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (140 mg, 97% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 7.6 Hz, 1H), 7.47-7.45 (m, 2H), 7.32-7.21 (m, 11H), 7.11-7.09 (m, 2H), 3.64 (s, 4H), 3.15 (t, *J* = 7.2 Hz, 2H), 2.79 (t, *J* = 7.2 Hz, 2H), 2.29 (s, 3H), 1.94 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 138.2, 136.6, 135.9, 134.9, 132.5, 131.4, 129.9, 129.7, 128.3, 126.1, 124.2, 123.5, 118.3, 117.8, 115.2, 57.7, 53.8, 24.8, 21.5, 8.9. HRMS (ESI) calcd. for $C_{32}H_{31}Cl_2N_2O_2S$ [M+H]: 577.1478, found: 577.1503.



3ic

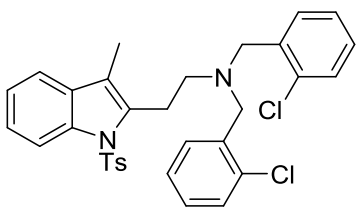
***N,N*-bis(2-bromobenzyl)-2-(3-methyl-1-tosyl-1H-indol-2-yl)ethan-1-amine (3id):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (83 mg, 50% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 8.0 Hz, 1H), 7.54-7.48 (m, 6H), 7.33-7.03 (m, 9H), 3.86 (s, 4H), 3.25 (t, *J* = 7.2 Hz, 2H), 2.89 (t, *J* = 7.2 Hz, 2H), 2.29 (s, 3H), 1.98 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 138.7, 136.7, 136.1, 134.9, 132.6, 131.4, 130.3, 129.7, 128.2, 127.3, 126.2, 124.2, 124.1,



3id

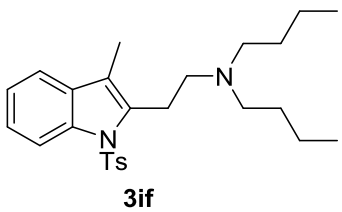
123.4, 118.3, 117.8, 115.2, 57.9, 54.3, 24.5, 21.5, 8.9. HRMS (ESI) calcd. for $C_{32}H_{31}Br_2N_2O_2S$ [M+H]: 665.0468, found: 665.0496.

***N,N*-bis(2-chlorobenzyl)-2-(3-methyl-1-tosyl-1H-indol-2-yl)ethan-1-amine (3ie):** The title compound was prepared according to the general procedure A and purified by column chromatography to give a white solid (82 mg, 57% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (d, *J* = 8.0 Hz, 1H), 7.54-7.49 (m, 4H), 7.32-7.20 (m, 5H), 7.15-7.09 (m, 6H), 3.87 (s, 4H), 3.24 (t, *J* = 6.8 Hz, 2H), 2.88 (t, *J* = 7.2 Hz, 2H), 2.29 (s, 3H), 1.97 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 137.2, 136.7, 136.1, 134.9, 133.9, 131.4, 130.2, 129.7, 129.3, 127.9, 126.6, 126.2, 124.1, 123.4, 118.3, 117.8, 115.2, 55.4, 54.3, 24.6, 21.5, 8.8. HRMS (ESI) calcd. for $C_{32}H_{31}Cl_2N_2O_2S$ [M+H]: 577.1478, found: 577.1491.



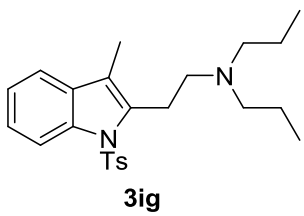
3ie

***N*-butyl-N-(2-(3-methyl-1-tosyl-1H-indol-2-yl)ethyl)butan-1-amine (3if):** The title compound was prepared according to the general procedure B and purified by column chromatography to give a white solid (66 mg, 60% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, *J* = 7.6 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.37 (d, *J* = 7.2 Hz, 1H), 7.27-7.20 (m, 2H), 7.14 (d, *J* = 8.0 Hz, 2H), 3.13 (t, *J* = 7.6 Hz, 2H), 2.79 (t, *J* = 8.4 Hz, 2H), 2.55 (t, *J* = 7.6 Hz, 4H), 2.30 (s, 3H), 2.15 (s, 3H), 1.51-1.44 (m, 4H), 1.37-1.26 (m, 4H), 0.93 (t, *J* = 7.6 Hz, 6H) ; ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 136.6, 136.1, 135.5, 131.5, 129.7, 126.2, 124.1, 123.4, 118.3, 117.4, 115.1, 56.0, 53.8, 29.5, 24.2, 21.5, 20.8, 14.2, 9.0. HRMS (ESI) calcd. for $C_{26}H_{37}N_2O_2S$ [M+H]: 441.2566, found: 441.2570.



3if

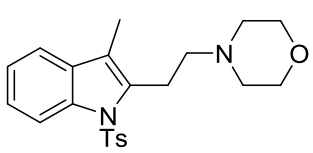
***N*-(2-(3-methyl-1-tosyl-1H-indol-2-yl)ethyl)-N-propylpropan-1-amine (3ig):** The title compound was prepared according to the general procedure B and purified by column chromatography to give a white solid (56 mg, 54% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 8.0 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.37 (d, *J* = 7.6 Hz, 1H), 7.28-7.20 (m, 2H), 7.14 (d, *J* = 8.0 Hz, 2H), 3.14 (t, *J* =



3ig

7.6 Hz, 2H), 2.80 (t, *J* = 8.4 Hz, 2H), 2.52 (t, *J* = 7.6 Hz, 4H), 2.30 (s, 3H), 2.15 (s, 3H), 1.56-1.47 (m, 4H), 0.92 (t, *J* = 7.2 Hz, 6H) ; ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 136.6, 136.1, 135.5, 131.5, 129.7, 126.2, 124.1, 123.4, 118.3, 117.3, 115.1, 56.1, 54.0, 24.2, 21.5, 20.5, 12.0, 9.0. HRMS (ESI) calcd. for $C_{24}H_{32}N_2O_2SNa$ [M+Na]: 435.2061, found: 435.2077.

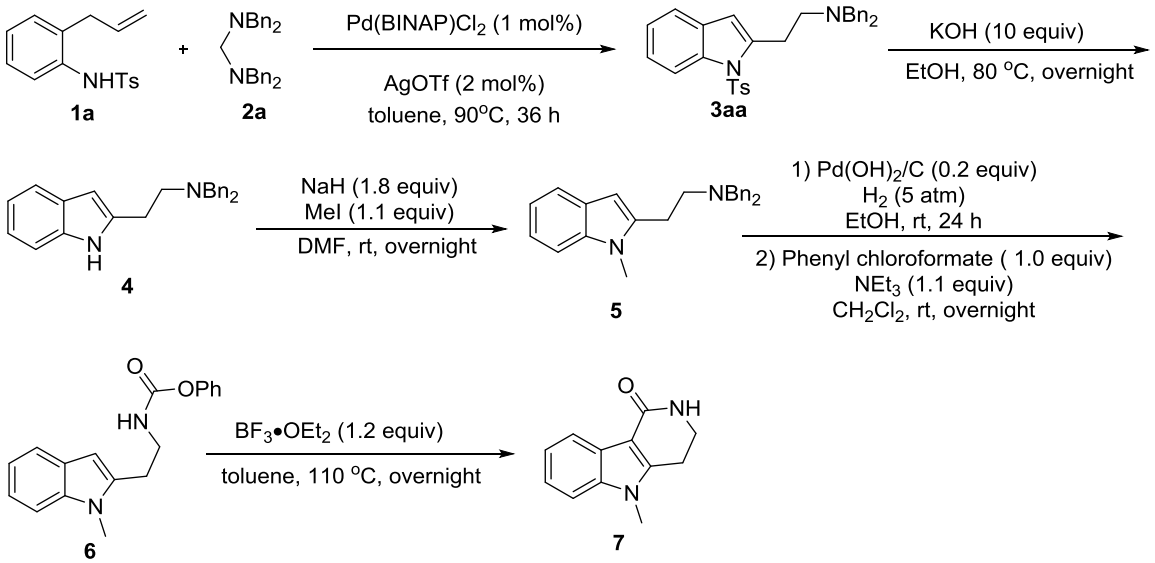
4-(2-(3-methyl-1-tosyl-1H-indol-2-yl)ethyl)morpholine (3ih): The title compound was prepared according to the general procedure B and purified by column chromatography to give a white solid (70 mg, 70% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, *J* = 8.0 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.38 (d, *J* = 7.2 Hz, 1H), 7.29-7.21 (m, 2H), 7.14 (d, *J* = 8.0 Hz, 2H), 3.76 (t, *J* = 4.0 Hz, 4H), 3.21 (t, *J* = 7.6 Hz, 2H), 2.70 (t, *J* = 8.0 Hz, 2H), 2.60 (t, *J* = 4.0 Hz, 4H), 2.30 (s, 3H), 2.16 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 136.6, 136.0, 134.5, 131.4, 129.8, 126.2, 124.3, 123.5, 118.5, 117.8, 115.1, 67.1, 58.8, 53.6, 23.9, 21.6, 9.0. HRMS (ESI) calcd. for $C_{22}H_{27}N_2O_3S$ [M+H]: 399.1737, found: 399.1737.



3ih

5. General procedure for the synthetic transformation of 2-(2-aminoethyl)indoles

Synthesis of product 7



The mixture of $Pd(BINAP)Cl_2$ (1 mol %), AgOTf (2 mol %) and toluene (30 mL) were added into a 250 mL Schlenk flask under nitrogen. Then the substrates **1a** (2.87 g, 10 mmol) and **2a** (8.12 g, 20 mmol) were added. The resulting mixture was stirred at 90 ^{o}C under N_2 for 36 h. The solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (PE/EA = 50:1 to 15:1) on a silica gel to give the desired product **3aa** (3.53 g, 71%) as a white solid.

To a flame dried 250 mL Schlenk flask was added **3aa** (3.85 g, 7.79 mmol), EtOH (50 mL) and KOH (4.36 g, 77.9 mmol) sequentially under nitrogen, then reaction mixture was stirred at 80 ^{o}C overnight. After the reaction completed as monitored by TLC, the solvent was evaporated under reduced pressure and the residue was washed with water (20 mL), and extracted with EA (10 mL×3), and the combined organic layers were dried over Na_2SO_4, filtrated and concentrated under reduce pressure to give the desired product **4** as yellow oil (2.64g, 99% yield).[3] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.85 (s, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 7.30-7.27 (m, 8H), 7.24-7.18 (m, 3H), 7.11-7.07 (m, 1H), 7.05-7.01 (m, 1H), 6.13 (s, 1H), 3.64 (s, 4H), 2.96 (t, *J* = 6.4 Hz, 2H), 2.84 (t, *J* = 6.4 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 138.9, 138.7, 135.8, 129.2, 128.6, 128.5, 127.3, 120.8, 119.7, 119.4, 110.5, 99.5, 58.5, 52.8, 25.4. HRMS (ESI) calcd. for $C_{24}H_{25}N_2$ [M+H]: 341.2012, found: 341.2020.

To a flame dried 250 mL Schlenk flask was added **4** (2.64g, 7.79 mmol), DMF (25 mL), and NaH (338 mg, 14.1 mmol) at 0 ^{o}C sequentially under nitrogen. After the reaction mixture was stirred for one hour at room temperature, MeI (1.21 g, 8.5 mmol) was added into the mixture, and the resulting mixture was stirred overnight. After the reaction completed as monitored by TLC, brine (30 mL) was added into the reaction mixture to quench the reaction. The resulting mixture was extracted with EA (15 mL×3), and the combined organic layers were dried over Na_2SO_4, filtrated, concentrated under reduced pressure. Purification by flash column chromatography on silica gels (PE/EA = 50:1 to 15:1) gave the desired product **5** as a pale white solid (2.45 g, 89% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.6 Hz, 1H), 7.36-7.34 (m, 4H), 7.30-7.18 (m, 7H), 7.14-7.02 (m, 2H), 6.17 (s, 1H), 3.67 (s, 4H), 3.38 (s, 3H), 2.94 (t, *J* = 6.8 Hz, 2H), 2.79 (t, *J* = 6.8 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 139.6, 139.0, 137.3, 128.8, 128.3, 127.8, 127.0,

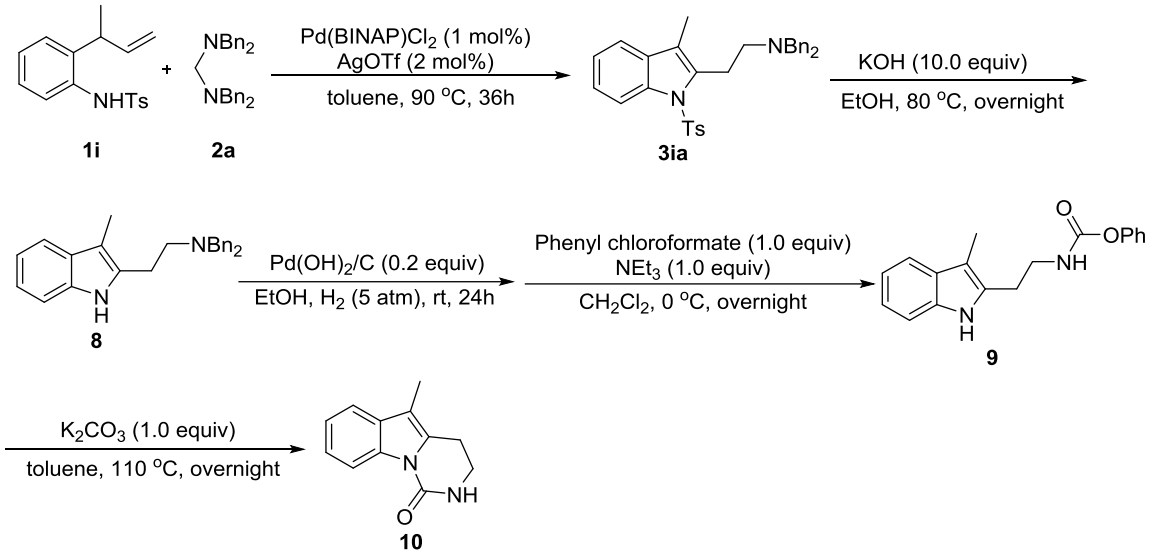
120.6, 119.7, 119.2, 108.8, 99.4, 58.6, 52.6, 29.2, 25.2. HRMS (ESI) calcd. for $C_{25}H_{27}N_2$ [M+H]: 355.2169, found: 355.2174.

To a flame dried 50 mL round flask was added **5** (1.2 g, 3.39 mmol), EtOH (20 mL) and $Pd(OH)_2/C$ (20% wt, 240 mg) sequentially at open air, then the 50 mL round flask was placed into an autoclave. The autoclave was purged and charged with H_2 (5 atm). The reaction mixture was stirred at room temperature for 24 hours. After the reaction completed as monitored by TLC, the reaction mixture was filtrated through a short column of silica gel (200-300 mesh, eluent: 20 mL×3 of MeOH) and the solvent was evaporated under reduced pressure to give colorless oil without further purification.[4] To a flame dried 50 mL Schlenk flask was added the crude product, DCM (10 mL), NEt_3 (376 mg, 3.73 mmol) and phenyl chloroformate (531 mg, 3.39 mmol) sequentially at room temperature, and the reaction mixture was stirred overnight. After the reaction completed as monitored by TLC, brine (30 mL) was added into the reaction mixture and the resulting mixture was extracted with DCM (10 mL×3), the combined organic layers were dried over Na_2SO_4, filtrated and concentrated under reduced pressure. Purification by flash column chromatography on silica gels (PE/EA = 10:1 to 2:1) gave the desired product **6** as a white solid (504 mg, 51% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (d, *J* = 7.6 Hz, 1H), 7.37-7.29 (m, 3H), 7.22-7.18 (m, 2H), 7.12-7.09 (m, 3H), 6.35 (s, 1H), 5.25 (br, 1H), 3.73 (s, 3H), 3.64 (q, *J* = 6.8 Hz, 2H), 3.10 (t, *J* = 6.8 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.8, 151.0, 137.6, 137.2, 129.4, 127.7, 125.4, 121.6, 121.2, 120.0, 119.6, 109.1, 99.9, 40.2, 29.6, 27.2. HRMS (ESI) calcd. for $C_{18}H_{18}N_2O_2Na$ [M+Na]: 317.1260, found: 317.1272.

To a flame dried 25 mL Young-type tube was added **6** (294 mg, 1.0 mmol), toluene (5 mL) and $BF_3{\cdot}OEt_2$ (170 mg, 1.2 mmol) slowly under nitrogen atmosphere, the reaction mixture was stirred at 110 °C overnight. Then the reaction was quenched with saturated $NaHCO_3$ solution (10 mL) and the resulting mixture was stirred until the solid was disappeared. The resulting mixture was extracted with DCM (10 mL×3), the combined organic layers were dried over Na_2SO_4, filtrated, concentrated under reduced pressure. Purification by flash column chromatography on silica gels (EA/MeOH = 200:1 to 50:1)

gave the desired product **7** as a white solid (188 mg, 94% yield).[5] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20-8.16 (m, 1H), 7.32-7.29 (m, 1H), 7.28-7.24 (m, 2H), 5.44 (s, 1H), 3.70 (s, 3H), 3.69-3.66 (m, 2H), 3.03 (t, *J* = 6.8 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.9, 144.6, 137.3, 125.4, 122.4, 121.9, 121.0, 109.2, 105.5, 40.6, 29.9, 21.9. HRMS (ESI) calcd. for $C_{12}H_{12}N_2ONa$ [M+Na]: 223.0842, found: 223.0838.

Synthesis of product 10



The mixture of Pd(BINAP)Cl_2 (1 mol %), AgOTf (2 mol %) and toluene (30 mL) were added into a 250 mL Schlenk flask under nitrogen. Then the substrates **1h** (3.01 g, 10 mmol) and **2a** (8.12 g, 20 mmol) were added. The resulting mixture was stirred at 90 °C under N_2 for 36 h. The solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography (PE/EA = 50:1 to 15:1) on a silica gel to give the desired product **3ha** (3.55 g, 70% yield) as a white solid.

To a flame dried 250 mL Schlenk flask was added **3ha** (3.0 g, 5.9 mmol), EtOH (30 mL) and KOH (3.3 g, 59.0 mmol) sequentially under nitrogen, then the reaction mixture was stirred at 80 °C overnight. After the reaction completed as monitored by TLC, the solvent was evaporated under reduced pressure and the residue was washed with water (10 mL) and extracted with EA (10 mL×3), the combined organic layers were dried over Na_2SO_4, filtrated, and concentrated under reduce pressure. Purification by flash chromatography on silica gels (PE/EA = 50:1 to 15:1) directly gave the desired product **8**

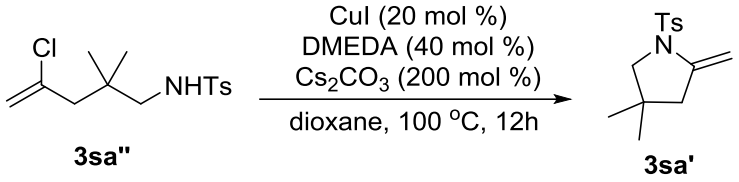
as colorless oil (1.96 g, 94%).[3] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.81 (br, 1H), 7.45 (d, *J* = 7.6 Hz, 1H), 7.30-7.19 (m, 11H), 7.12-7.03 (m, 2H), 3.65 (s, 4H), 2.93 (t, *J* = 6.4 Hz, 2H), 2.82 (t, *J* = 6.4 Hz, 2H), 2.15 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 138.9, 135.1, 134.2, 129.2, 129.0, 128.5, 127.3, 120.7, 118.7, 117.9, 110.3, 106.4, 58.4, 52.5, 23.0, 8.4. HRMS (ESI) calcd. for $C_{25}H_{27}N_2$ [M+H]: 355.2169, found: 355.2182.

To a flame dried 50 mL round flask was added **8** (1.8 g, 5.08 mmol), EtOH (20 mL) and $Pd(OH)_2/C$ (20% wt, 360 mg) sequentially at open air, then the 50 mL round flask was placed into an autoclave, and the autoclave was purged and charged with H_2 (5 atm). The reaction mixture was stirred at room temperature about 24 hours. After the reaction completed as monitored by TLC, the reaction mixture was filtrated through a short column of silica gel (200-300 mesh, eluent: 20 mL×3 of MeOH) and the solvent was removed under reduced pressure to give colorless oil without further purification.[4] To a flame dried 50 mL Schlenk flask was added the crude product, DCM (15 mL), NEt_3 (513 mg, 5.08 mmol) and phenyl chloroformate (795 mg, 5.08 mmol) sequentially at 0 °C, and the reaction mixture was stirred overnight. After the reaction completed as monitored by TLC, the reaction was quenched with brine (30 mL) and the resulting mixture was extracted with DCM (10 mL×3), the combined organic layers were dried over Na_2SO_4, filtrated and concentrated under reduced pressure. Purification by flash column chromatography on silica gels (PE/EA = 10:1 to 2:1) gave the desired product **9** as a white solid (1.31 g, 88% yield). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.53 (d, *J* = 7.6 Hz, 1H), 7.37-7.33 (m, 2H), 7.30-7.28 (m, 1H), 7.22-7.08 (m, 5H), 5.13 (s, 1H), 3.58 (q, *J* = 6.4 Hz, 2H), 3.04 (t, *J* = 6.8 Hz, 2H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.9, 150.9, 135.5, 131.2, 129.4, 129.2, 125.5, 121.6, 119.3, 118.3, 110.5, 108.6, 40.8, 26.8, 8.6. HRMS (ESI) calcd. for $C_{18}H_{18}N_2O_2Na$ [M+Na]: 317.1260, found: 317.1272.

To a flame dried 50 mL Schlenk flask was added **9** (490 mg, 1.67 mmol), toluene (10 mL) and K_2CO_3 (230 mg, 1.67 mmol) sequentially under nitrogen, the reaction mixture was stirred at 110 °C overnight. After the reaction completed as monitored by TLC, the crude product was purified by flash chromatography on silica gels (PE/EA = 5:1 to 1:1) directly to give the desired product **10** (332 mg, 99.6% yield) as a pale white solid.[6] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.0 Hz, 1H), 7.46 (d, *J* = 7.6 Hz, 1H), 7.30-7.21

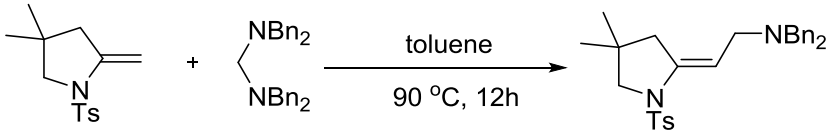
(m, 2H), 6.21 (br, 1H), 3.56-3.52 (m, 2H), 3.04 (t, *J* = 6.0 Hz, 2H), 2.20 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.6, 135.0, 130.4, 130.1, 123.7, 122.4, 118.0, 115.1, 110.7, 39.4, 21.3, 8.4. HRMS (ESI) calcd. for $C_{12}H_{12}N_2ONa$ [M+Na]: 223.0842, found: 223.0842.

General procedure for the synthesis of intermediate 3aa' and 3sa'



3sa" **3sa'**

The mixture of *N*-(3-chloro-1-phenylbut-3-en-1-yl)toluenesulfonamide (82 mg, 0.3 mmol), CuI (11 mg, 0.06 mmol), *N*, *N'*-dimethylethylenediamie (13 μL, 0.12 mmol), and Cs_2CO_3 (196 mg, 0.6 mmol) in dioxane (10 mL) was refluxed for 2 h under nitrogen atmosphere. The resulting mixture was cooled to room temperature and filtered. The filtrate was concentrated in vacuo and the crude product **3sa'** was essentially pure. Purification by flash column chromatography on basic alumina (PE/EA = 15:1 to 4:1) gave the desired product **3aa'** as a white solid (70 mg, 99% yield).[7] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 5.05 (d, *J* = 1.2 Hz, 1H), 4.27 (d, *J* = 1.2 Hz, 1H), 3.37 (s, 2H), 2.43 (s, 3H), 2.14 (t, *J* = 1.6 Hz, 2H), 0.97 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 143.8, 134.8, 129.4, 127.4, 90.4, 63.2, 47.0, 34.8, 25.5, 21.6.



3sa' **2a** **3sa**, 51%

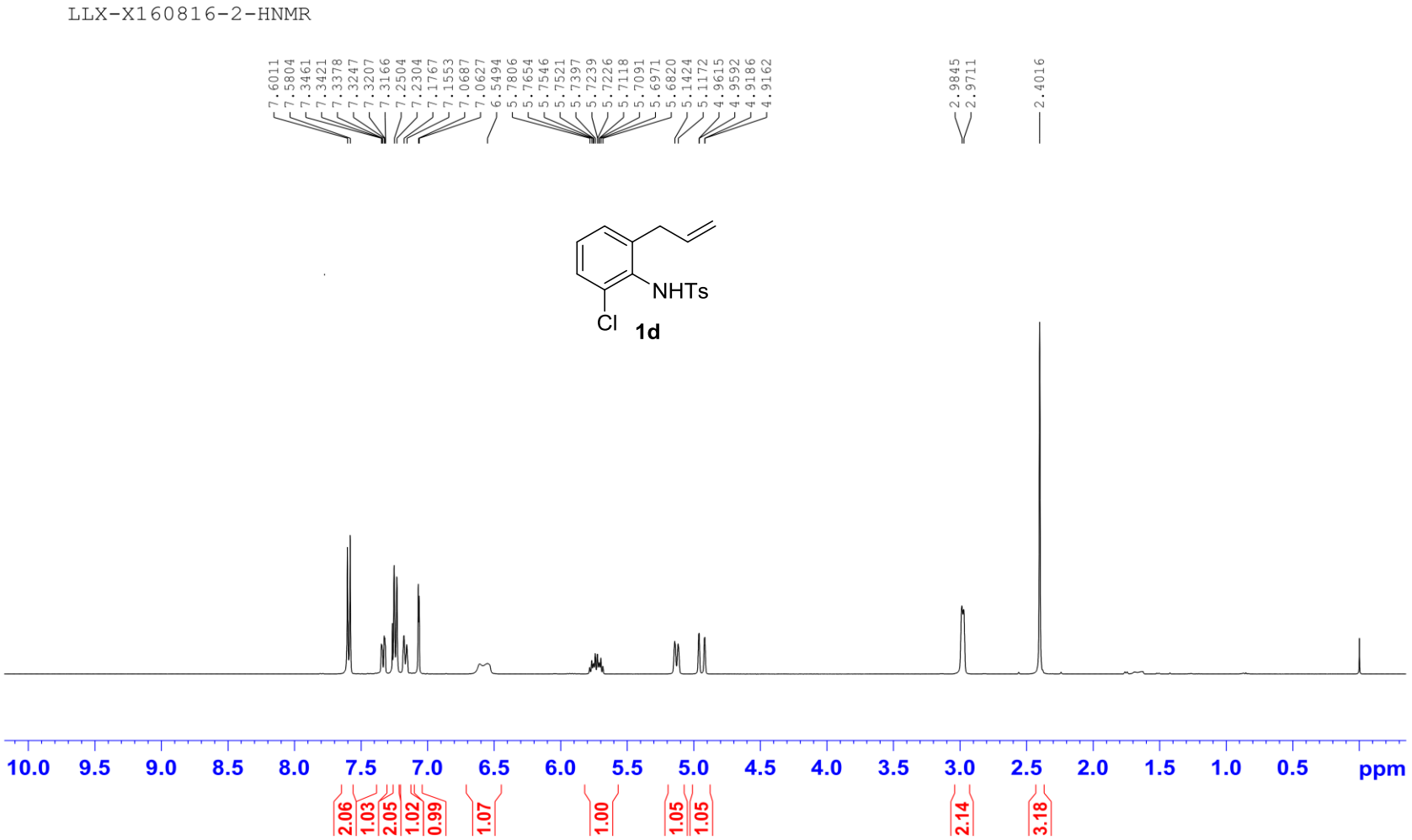
The mixture of **3sa'** (66 mg, 0.25 mmol), aminal **2a** (101 mg, 0.25 mmol) were added into a Young-type tube under nitrogen. Then toluene (1 mL) was added into the reaction mixture. The resulting mixture was stirred at 90 °C under N_2 for 12 h. The solvent was evaporated under reduced pressure and the residue was purified by flash column

chromatography (PE/EA = 50:1 to 15:1) on a silica gel to give the desired product **3sa** (60.4 mg, 51% yield).

References

1. *(a)* Heaney, H.; Papageorgiou, G.; Wilkins, R. F. *Tetrahedron.*, **1997**, *53*, 2941; (*b*) T. Rosenau, A. Potthast and P. Kosma, *Tetrahedron.*, **2004**, *60*, 301; (c) Chi, Y.; Gellman, S. H. *J. Am. Chem. Soc.* **2006**, *128*, 6804.
2. *(a)* Liwosz, T. W.; Chemler, S. R. *J. Am. Chem. Soc.* **2012**, *134*, 2020; (*b*) Brucelle F.; Renaud P. *J. Org. Chem.* **2013**, *78*, 6245.
3. Zhu, C.; Ma, S.; *Org. Lett.*, **2013**, *15*, 2782.
4. Li, L.; Liu, P.; Su, Y.; Huang, H.; *Org. Lett.*, **2016**, *18*, 5736.
5. Wehle, S.; Espargaró, A.; Sabaté, R.; Decker, M.; *Tetrahedron*, **2016**, *72*, 2535.
6. Kato, M.; Nishino, S.; Ito, K.; Yamakuni, H.; Takasugi, H.; *Chem*, *Pharm. Bull.* **1994**, *42*, 2556.
7. Lu, H.; Yuan, X.; Zhu, S.; Sun, C.; Li, C. *J. Org. Chem.* **2008**, *73*, 8665.

6. ^{1}H NMR and ^{13}C NMR spectra of the staring materials and products



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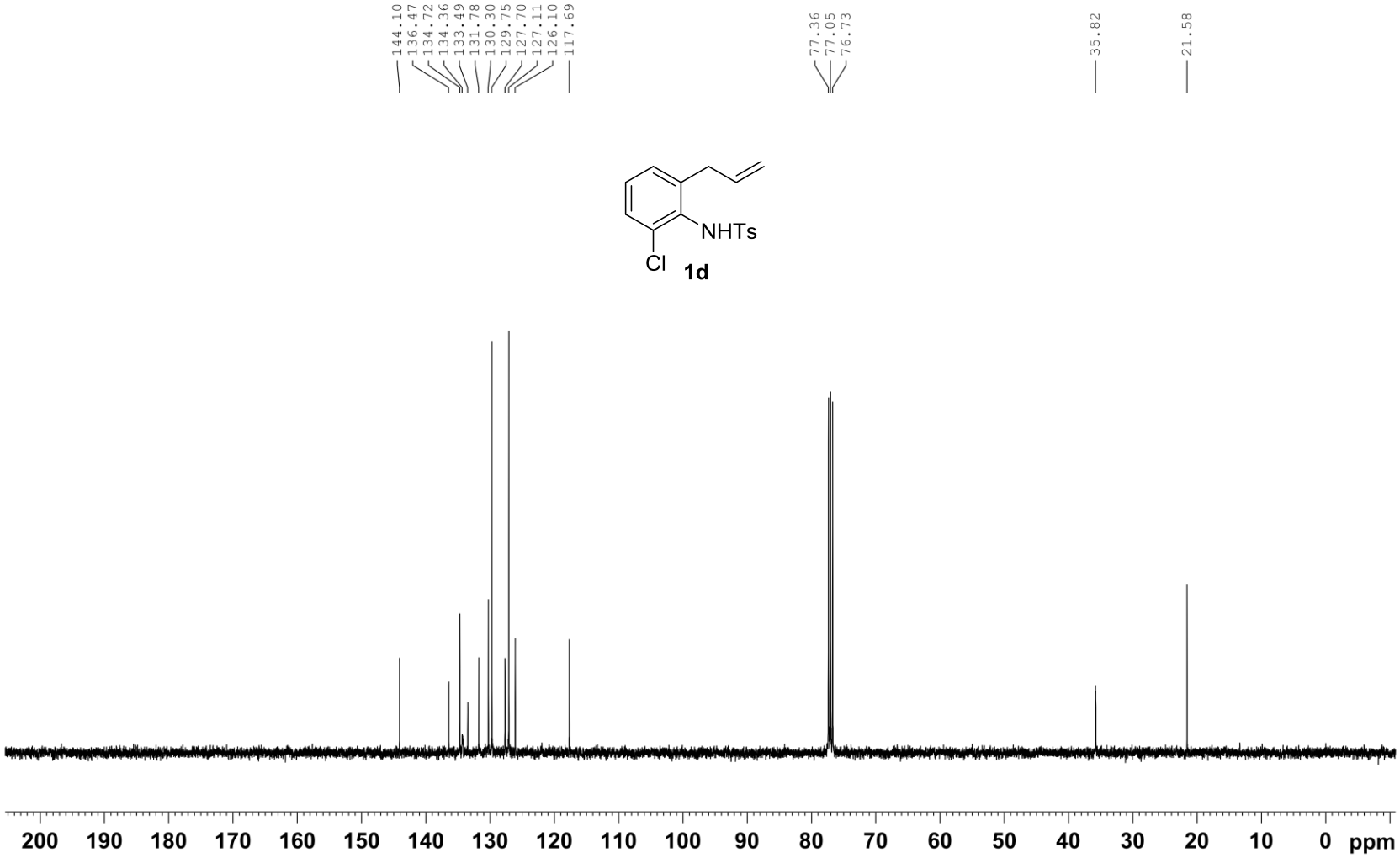

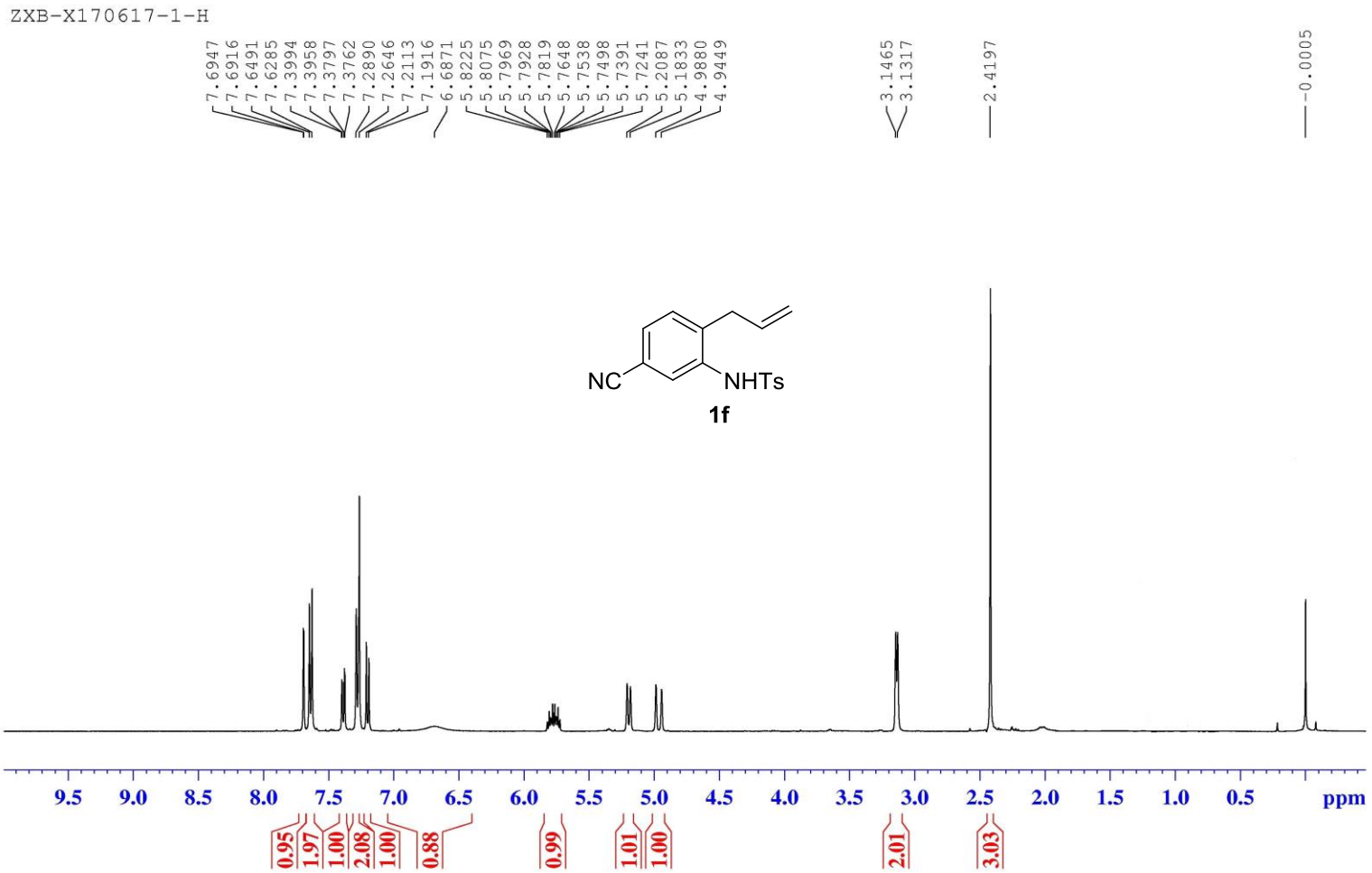
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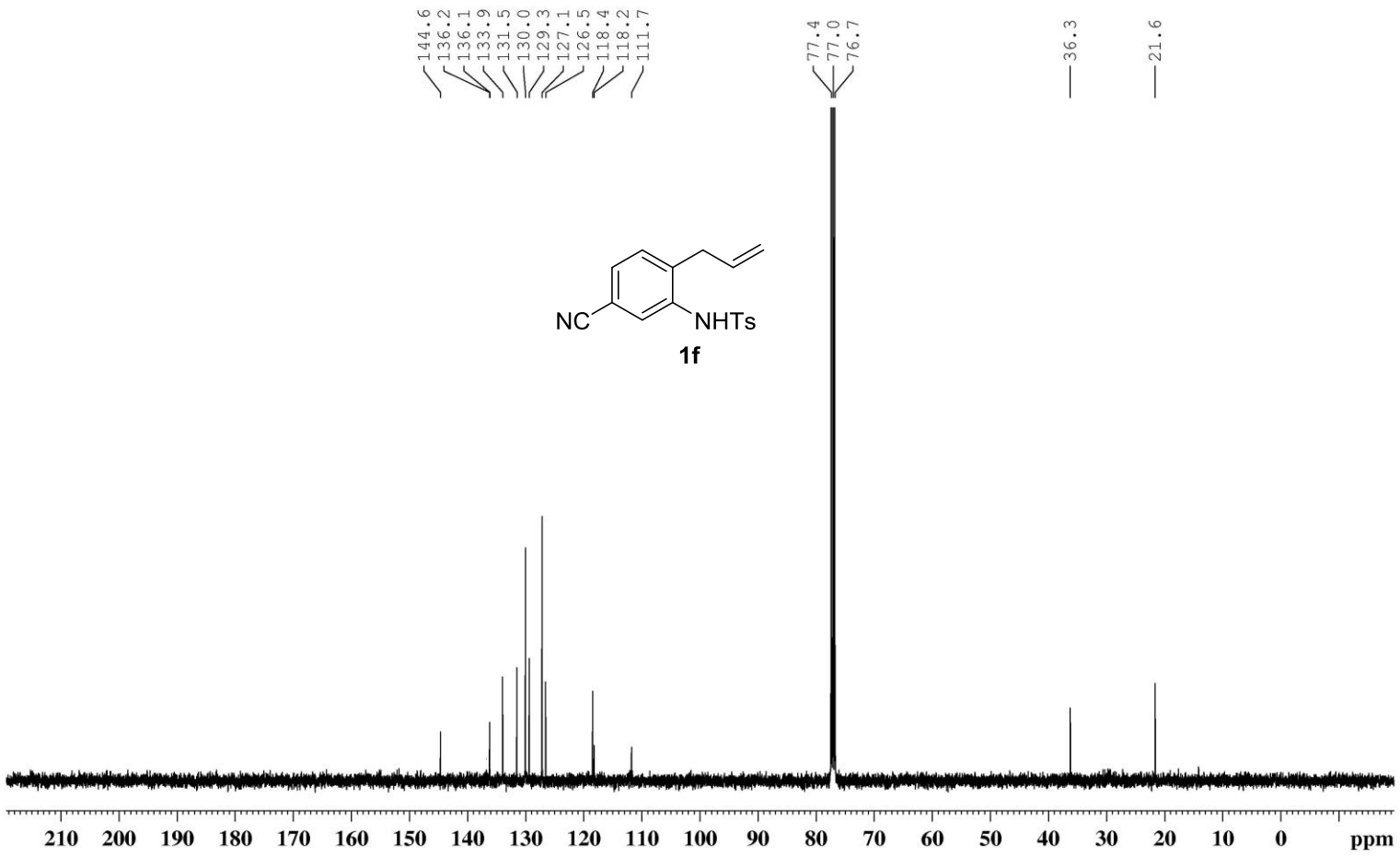

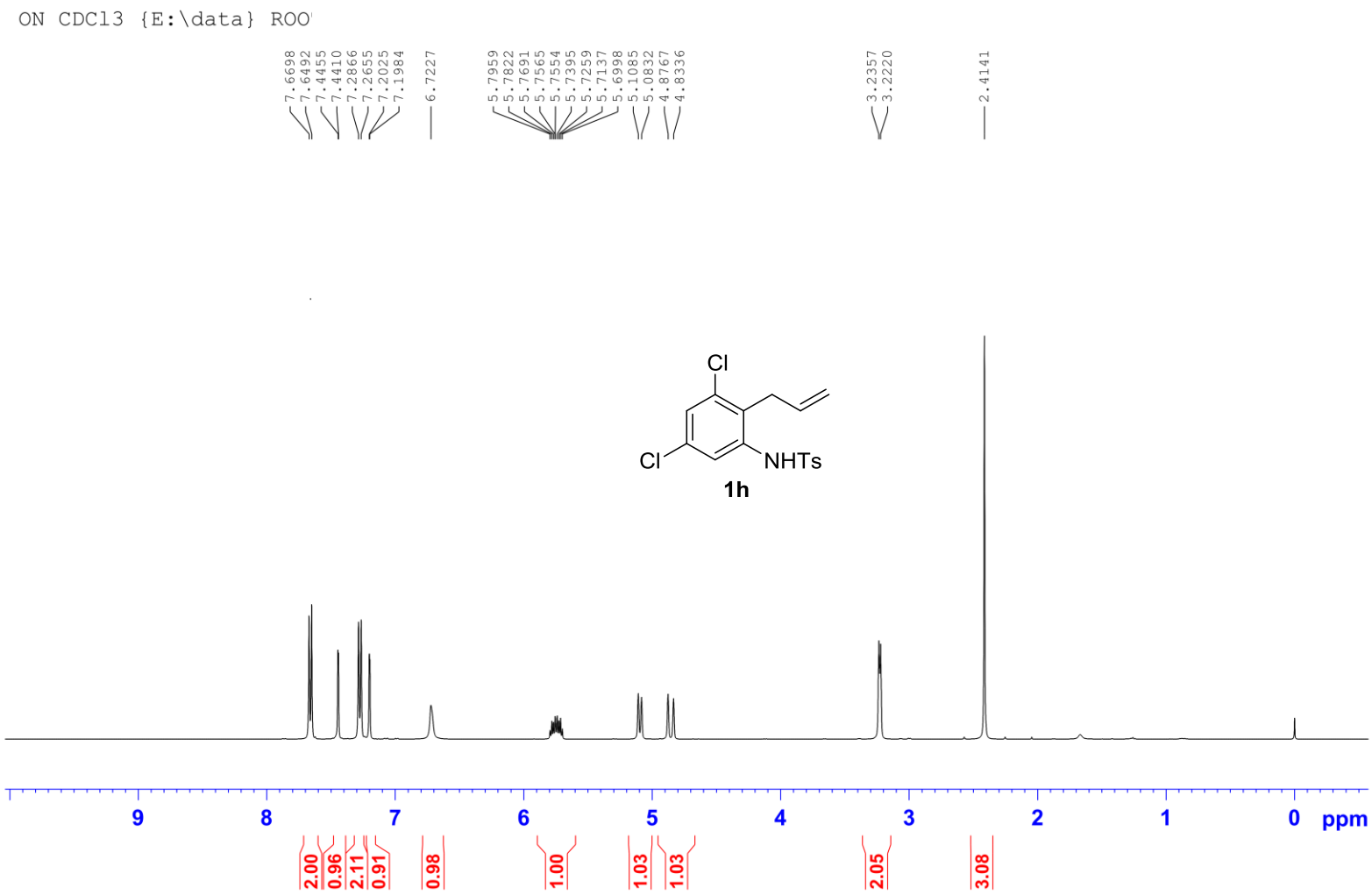
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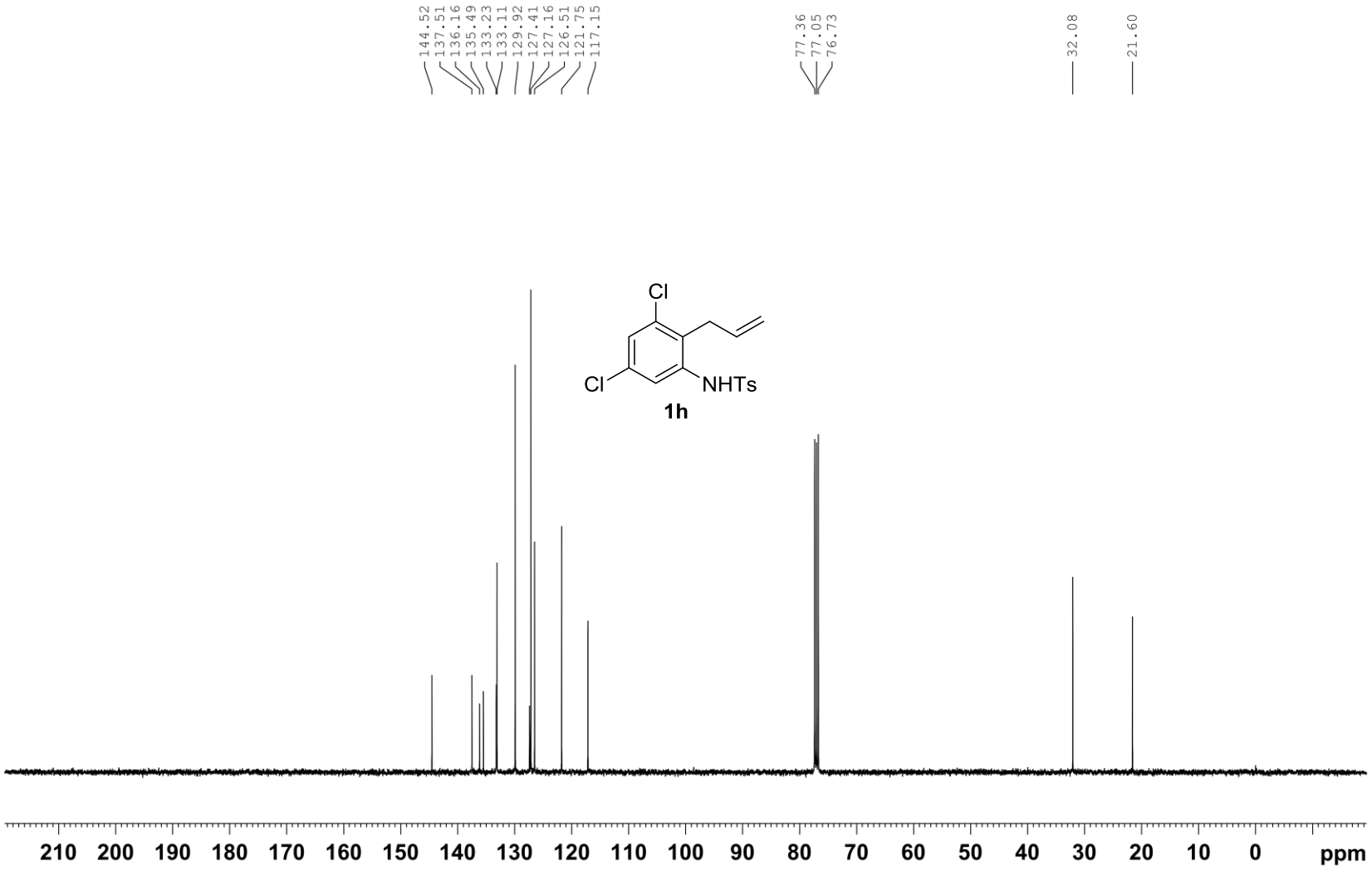

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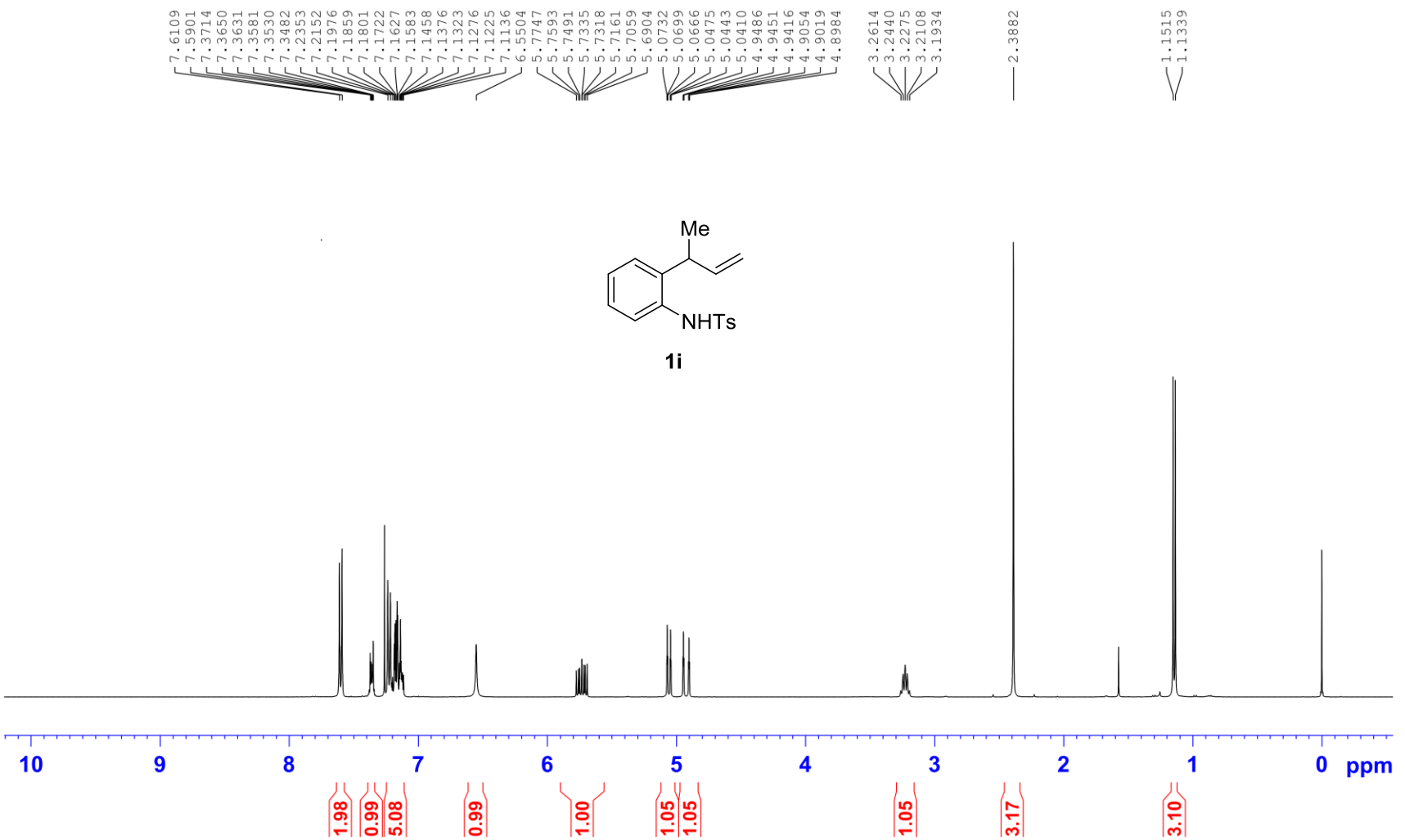

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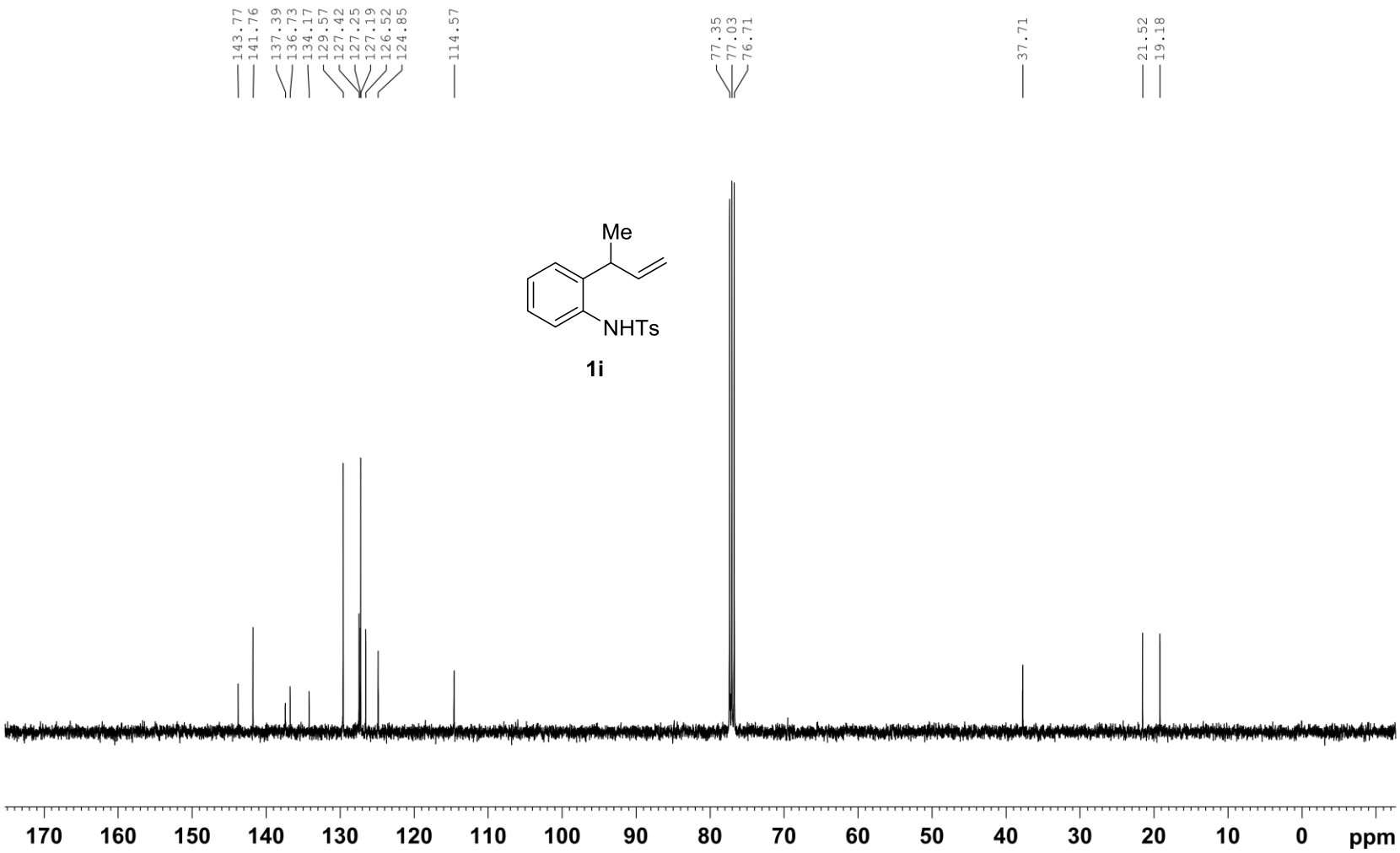

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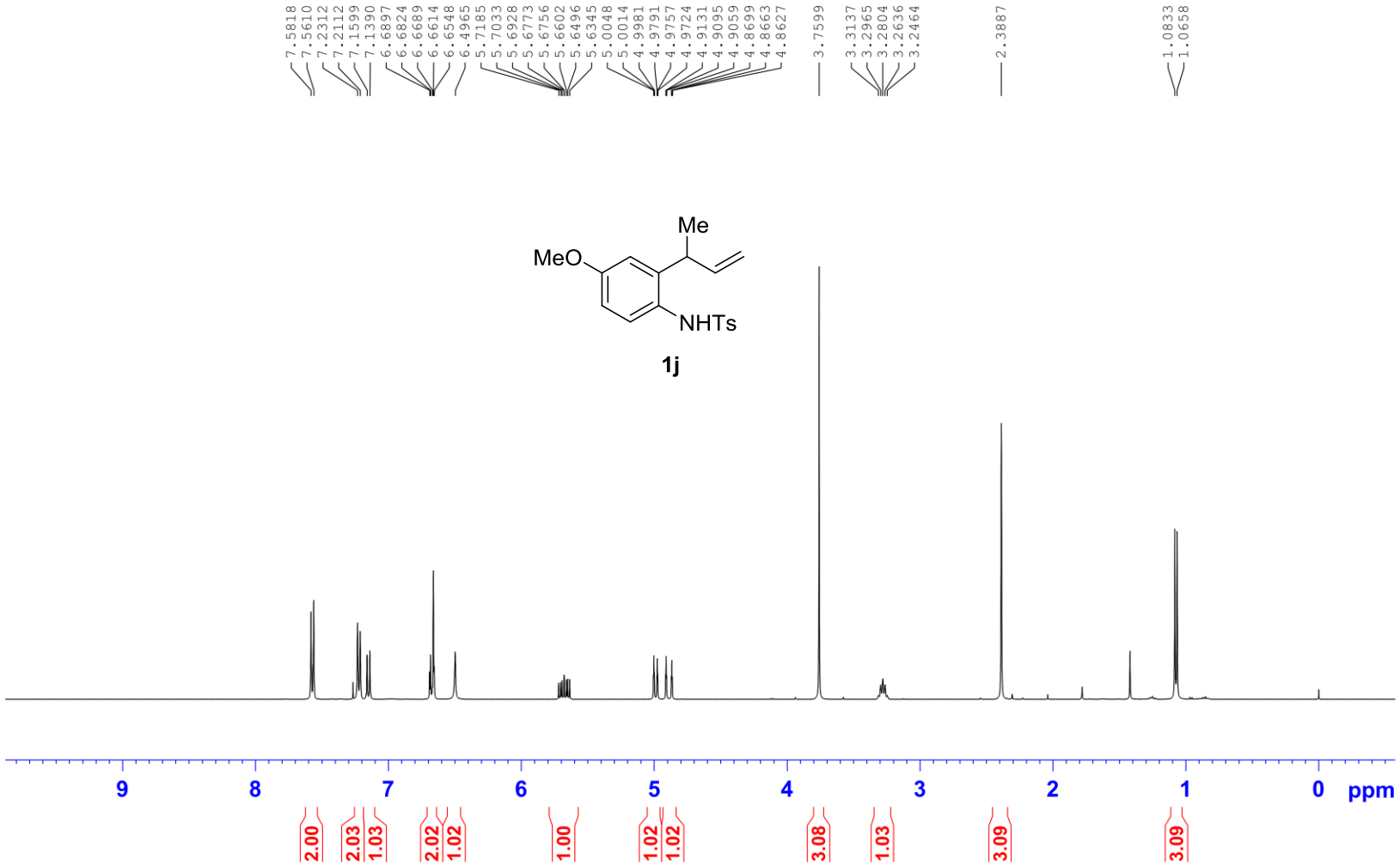

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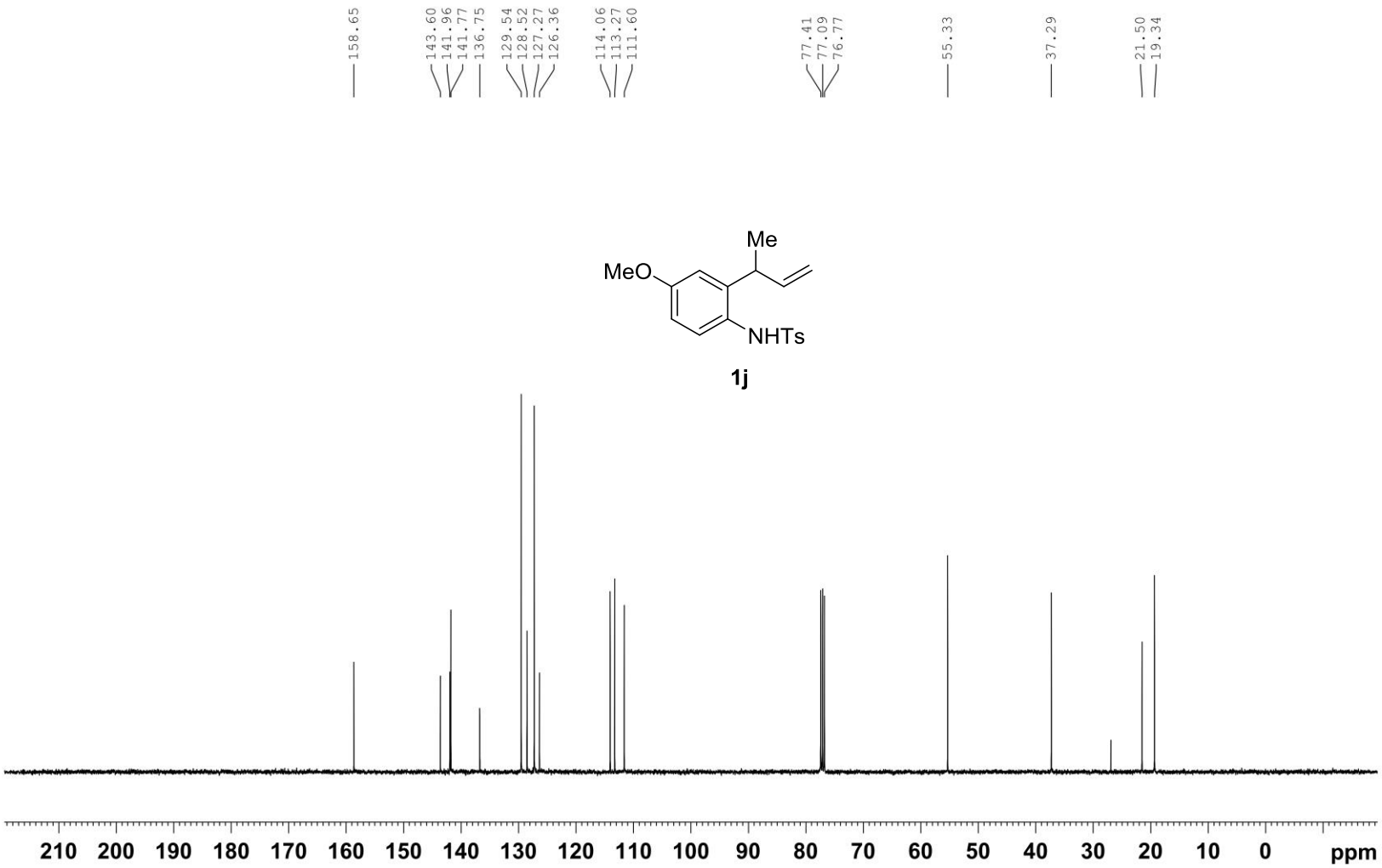

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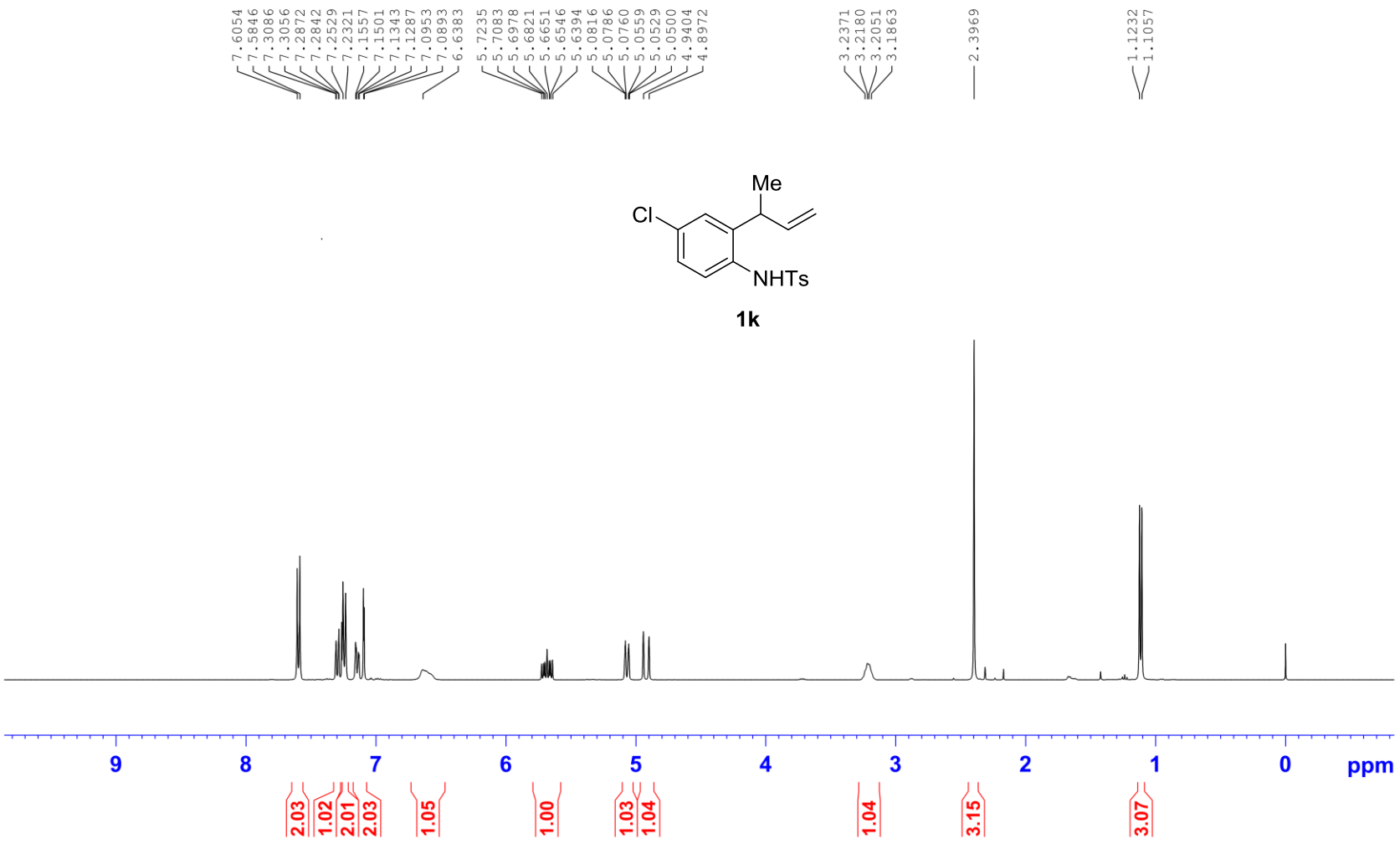

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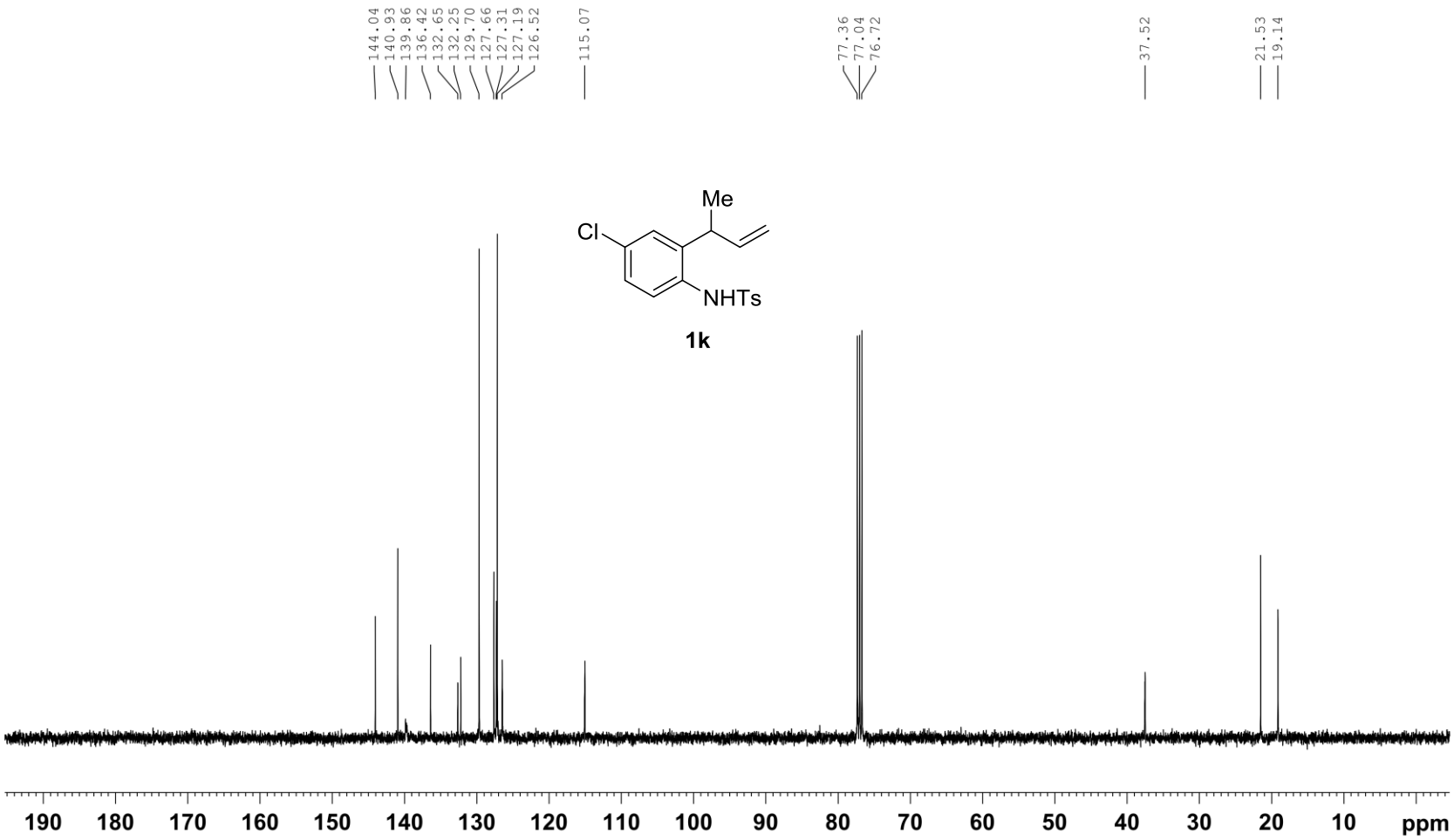

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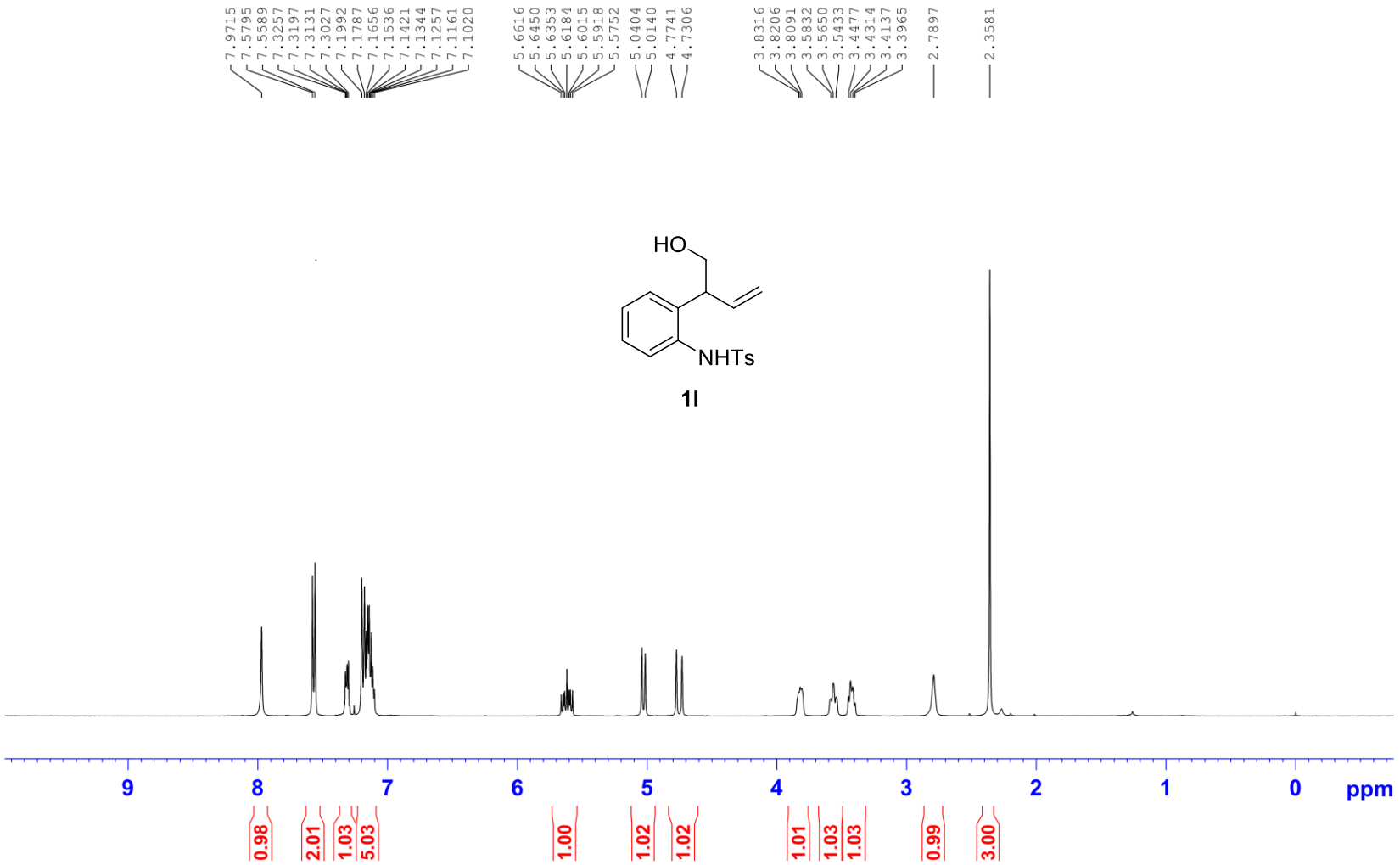

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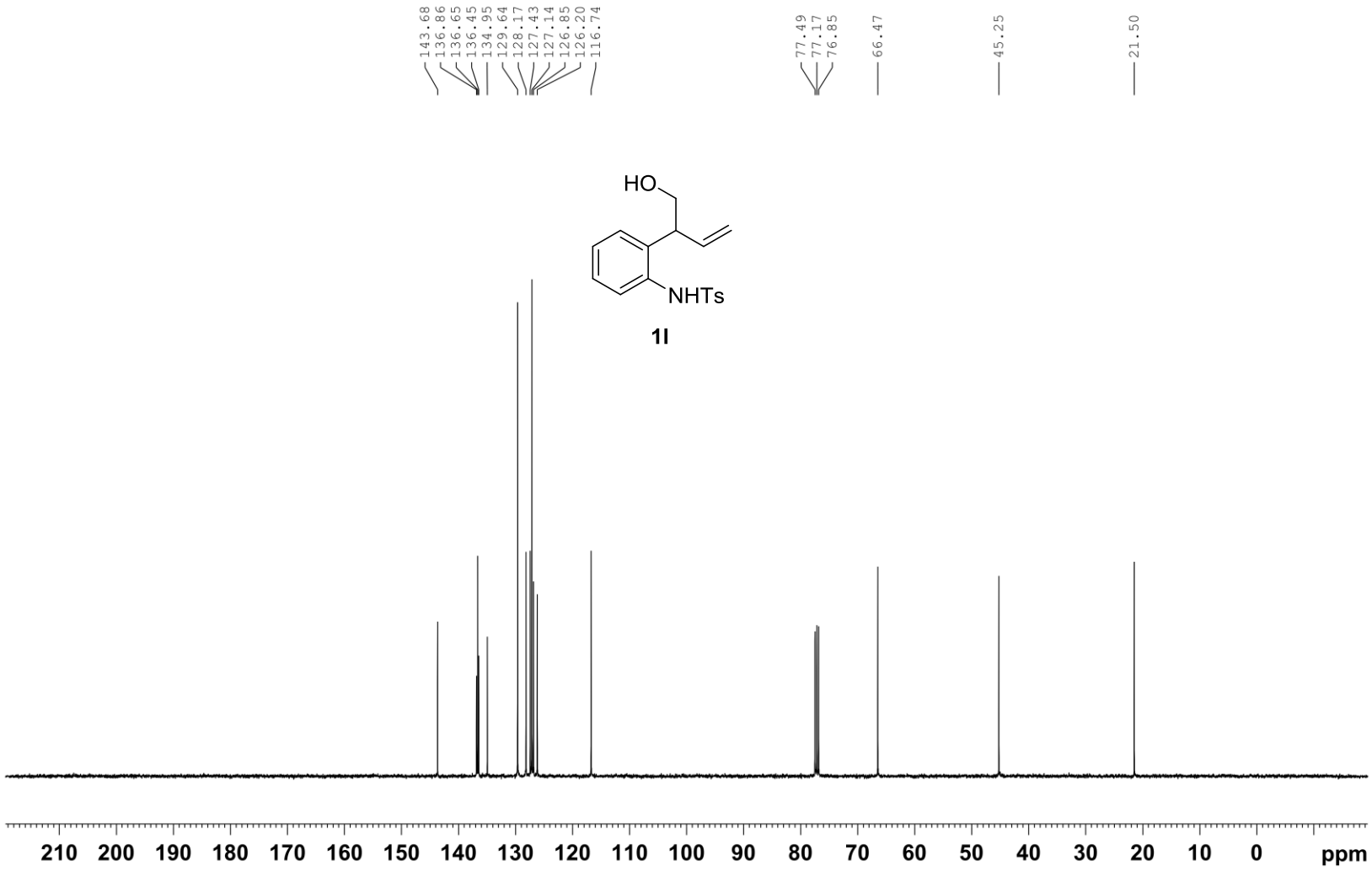

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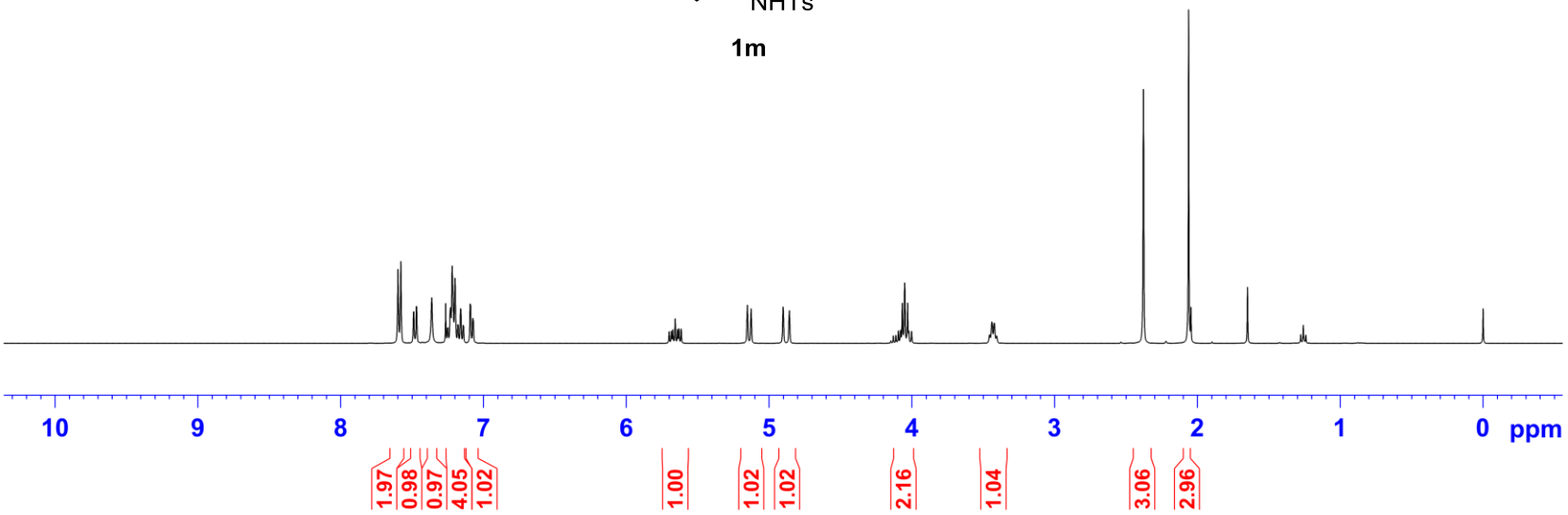
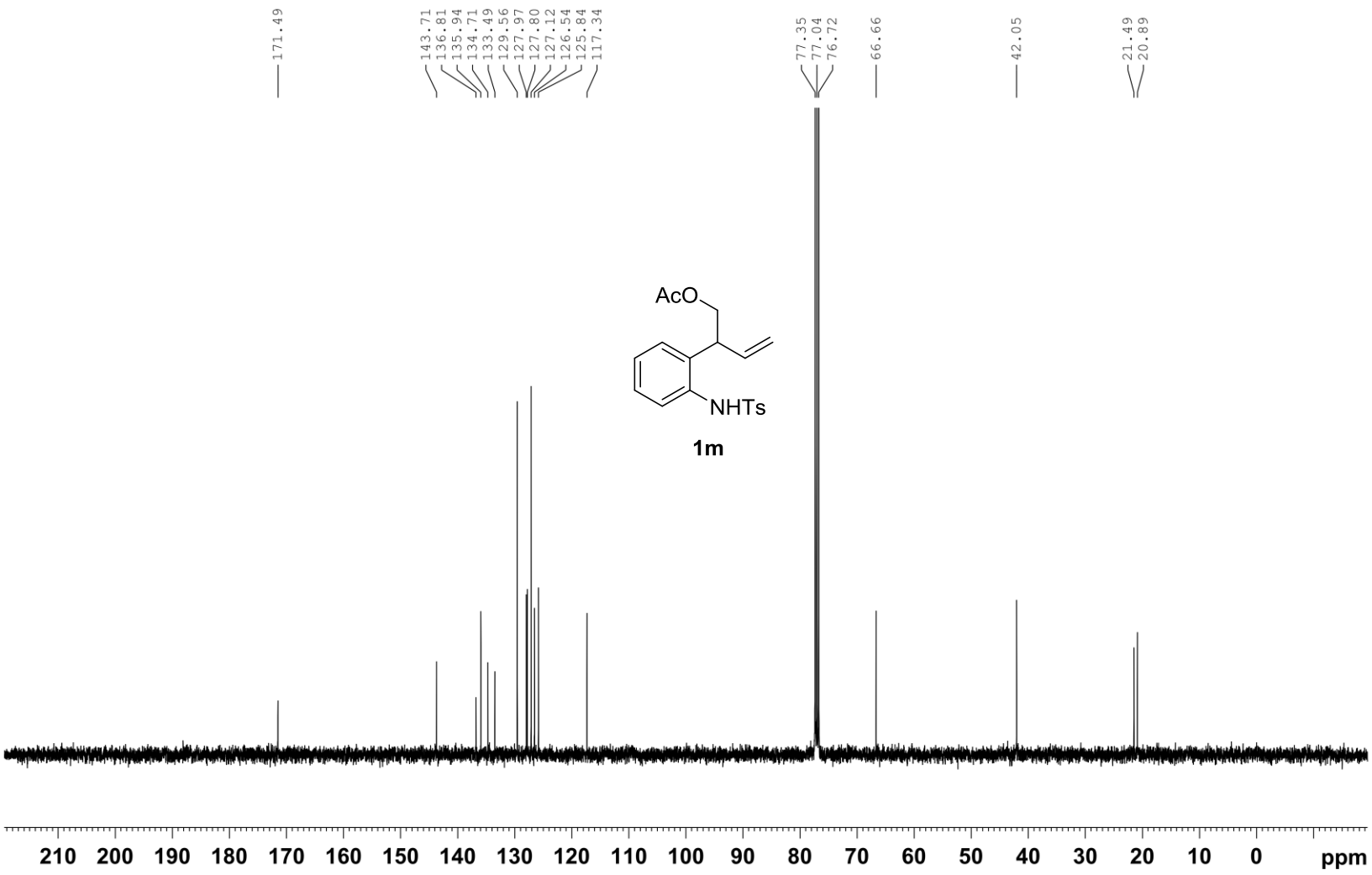
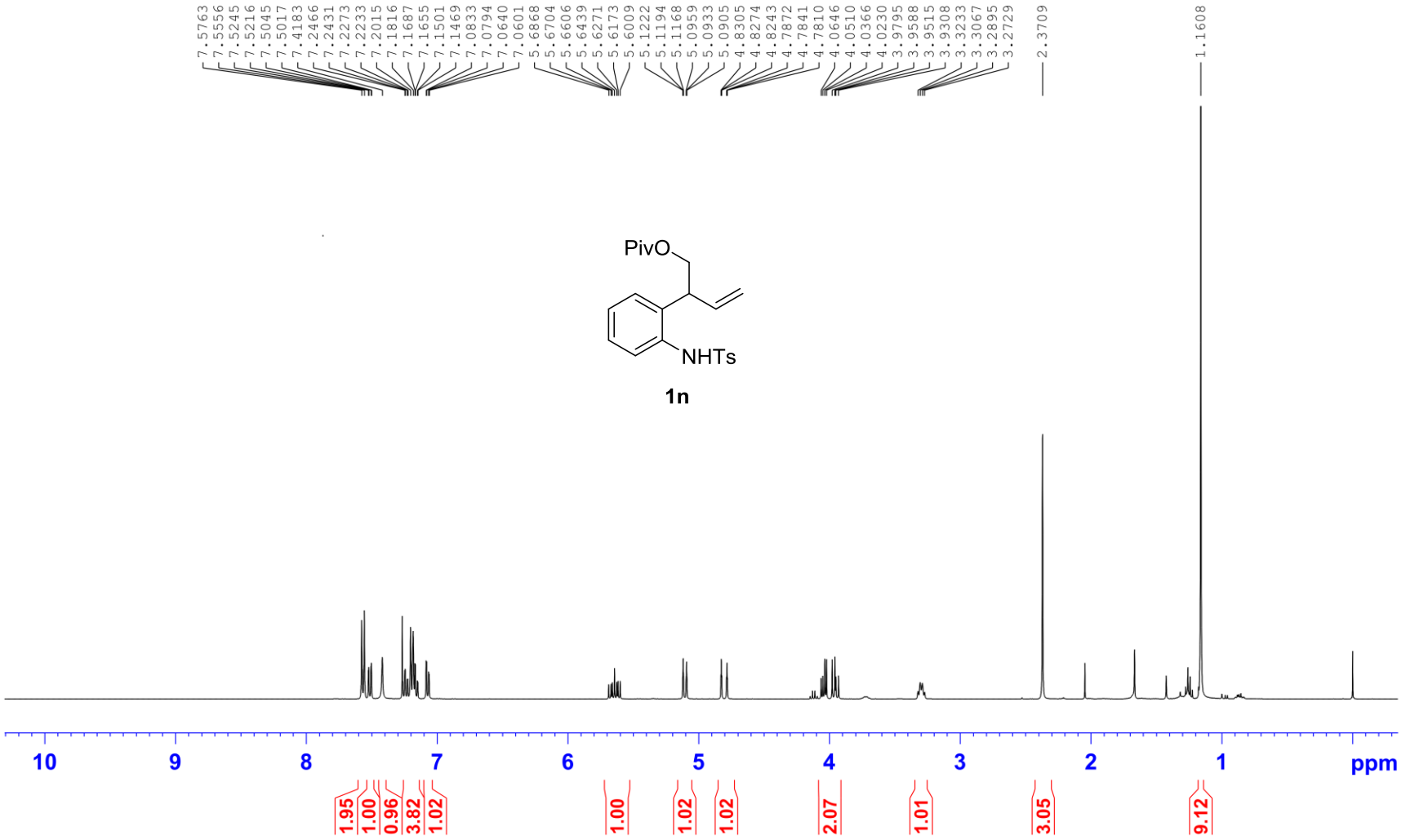


LLX-X16X09-2-CNMR



LLX-X160726-1-HNMR



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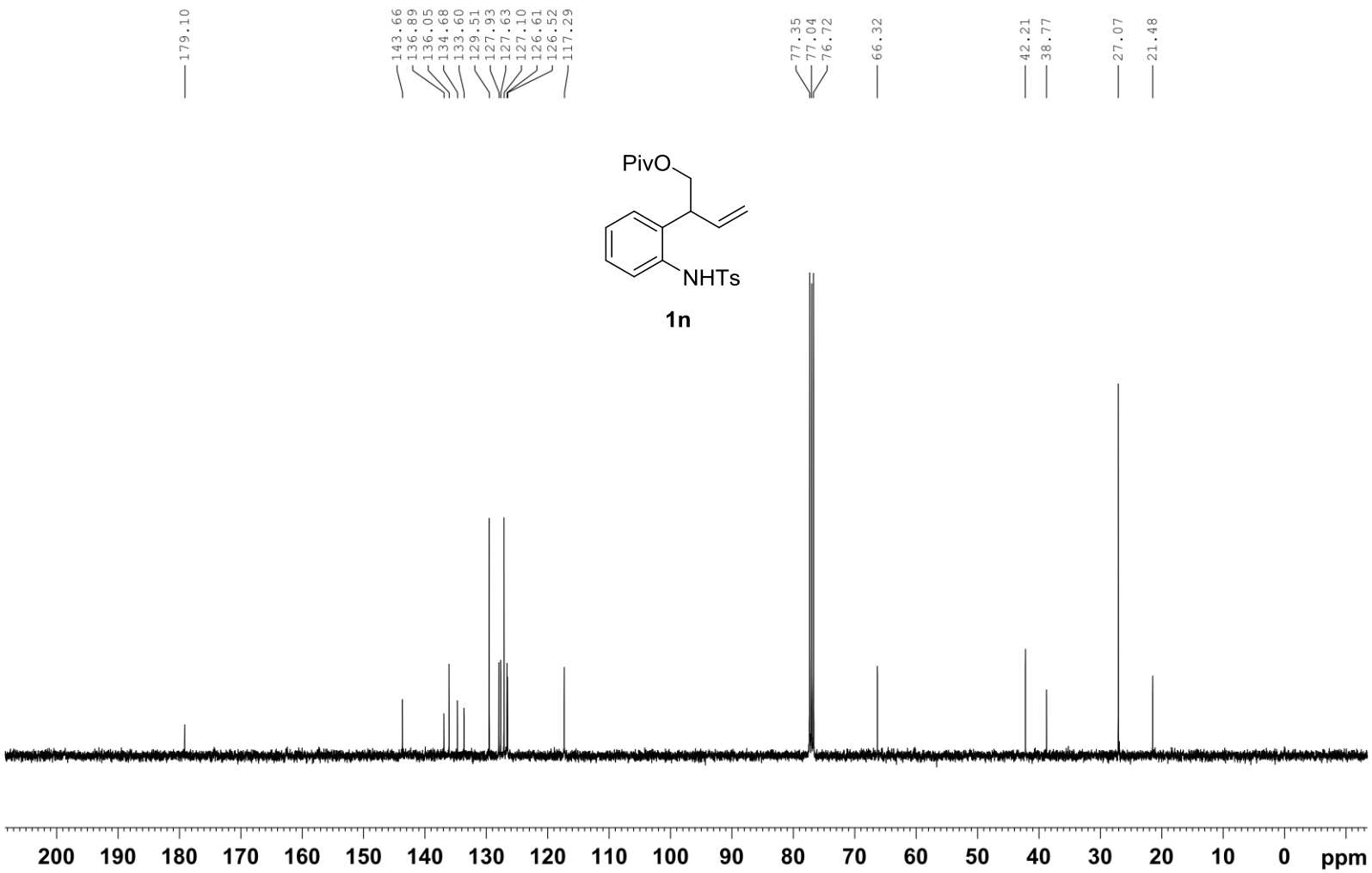

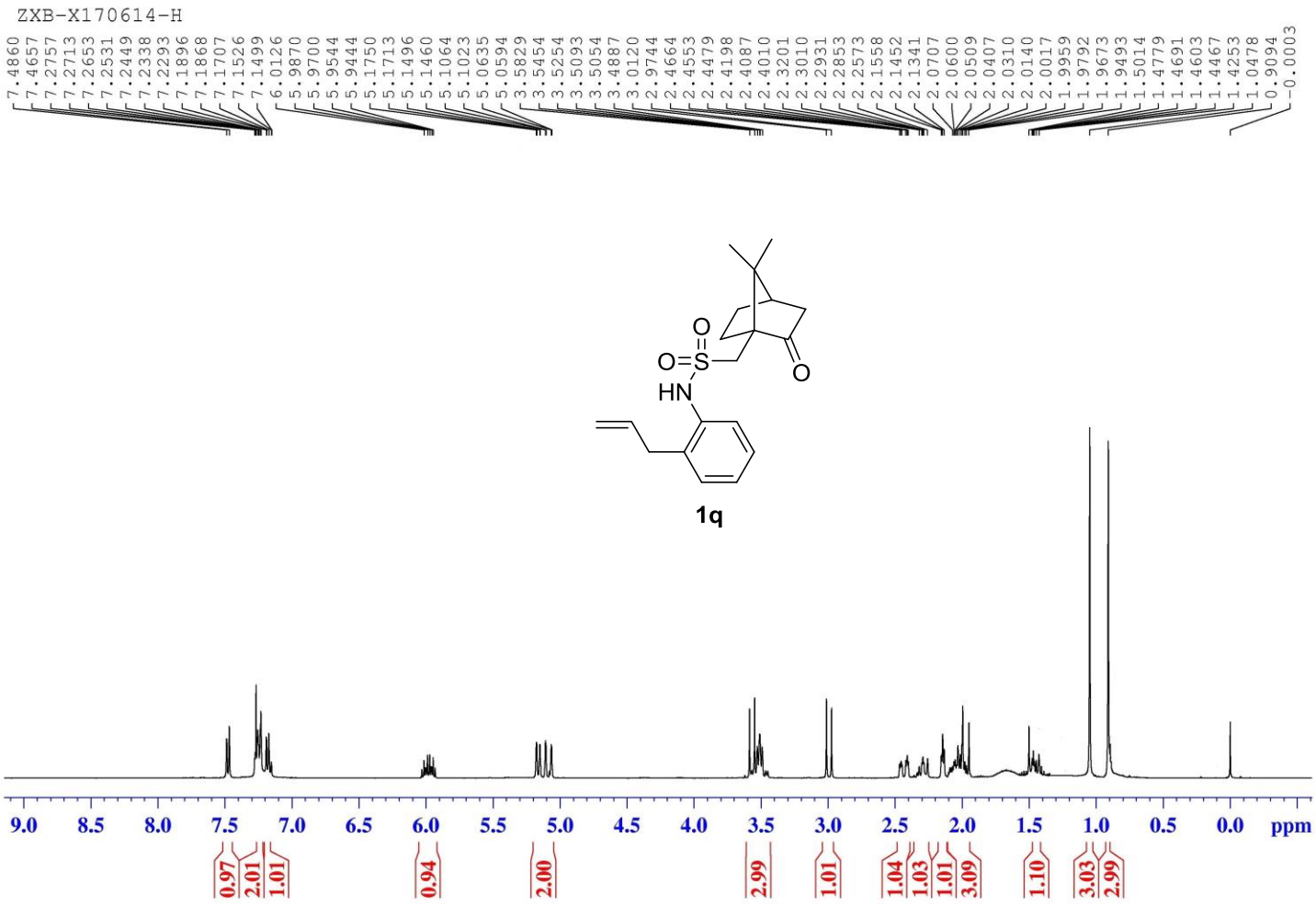
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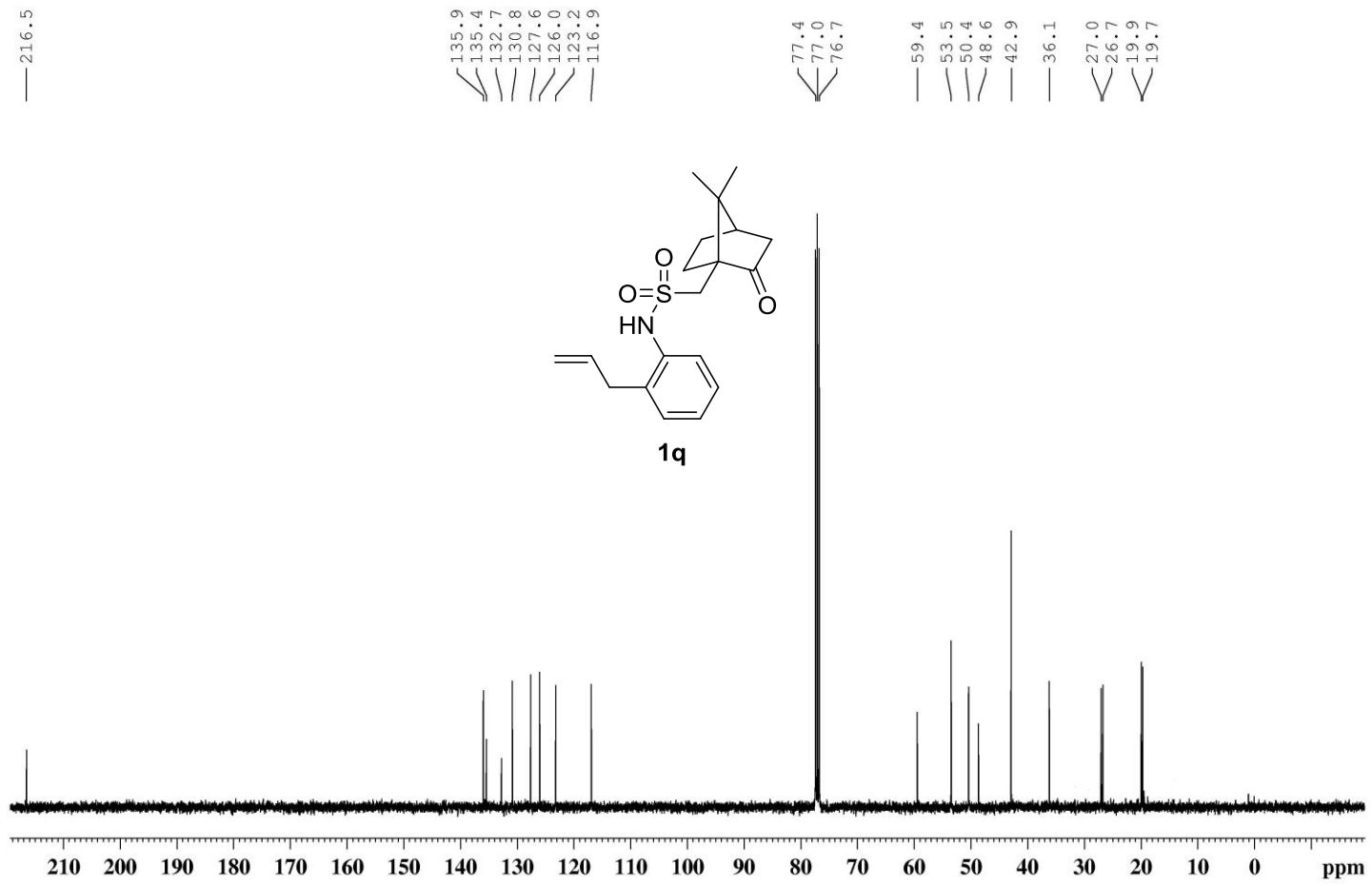
ZXB-X170614-3-C



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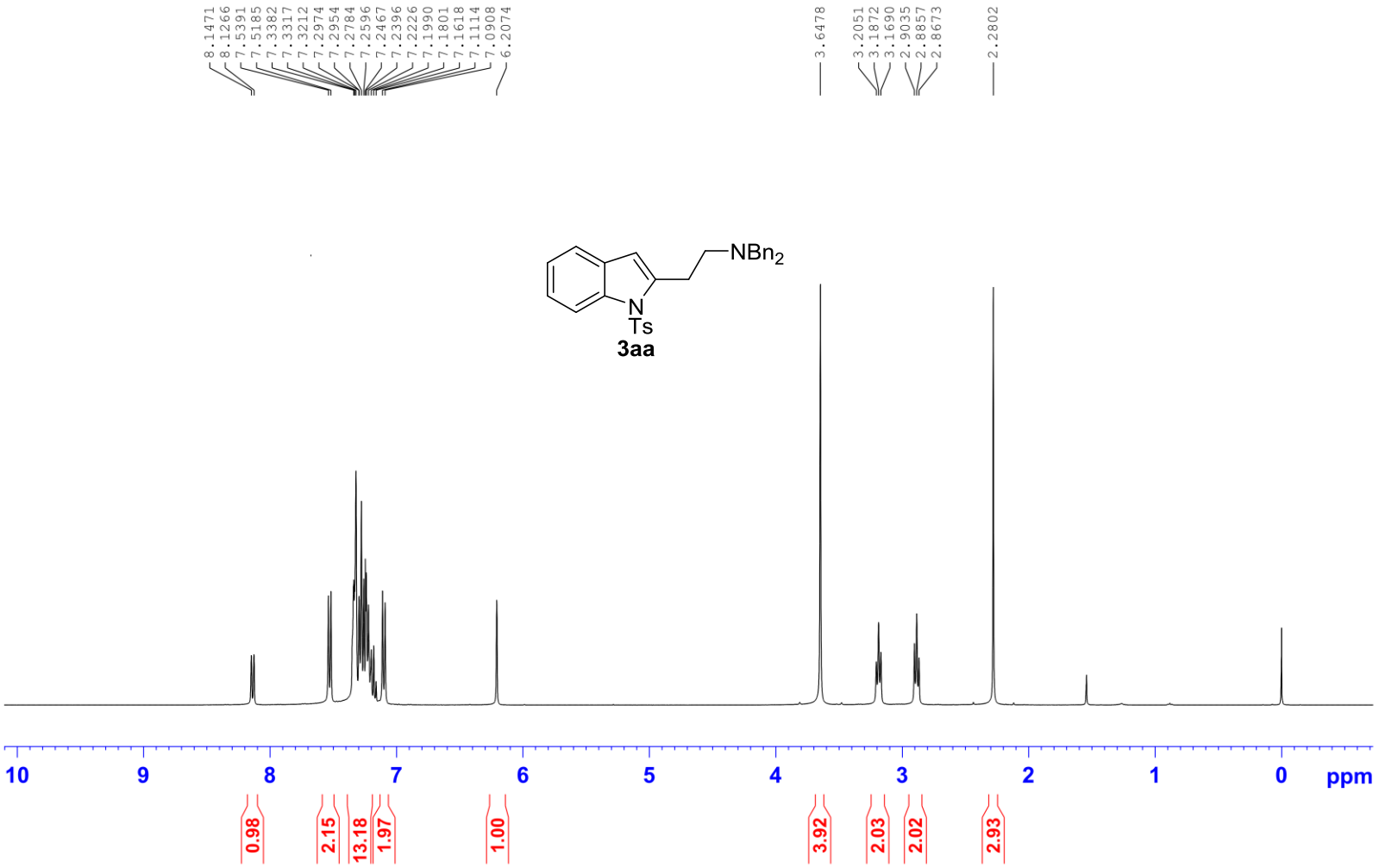
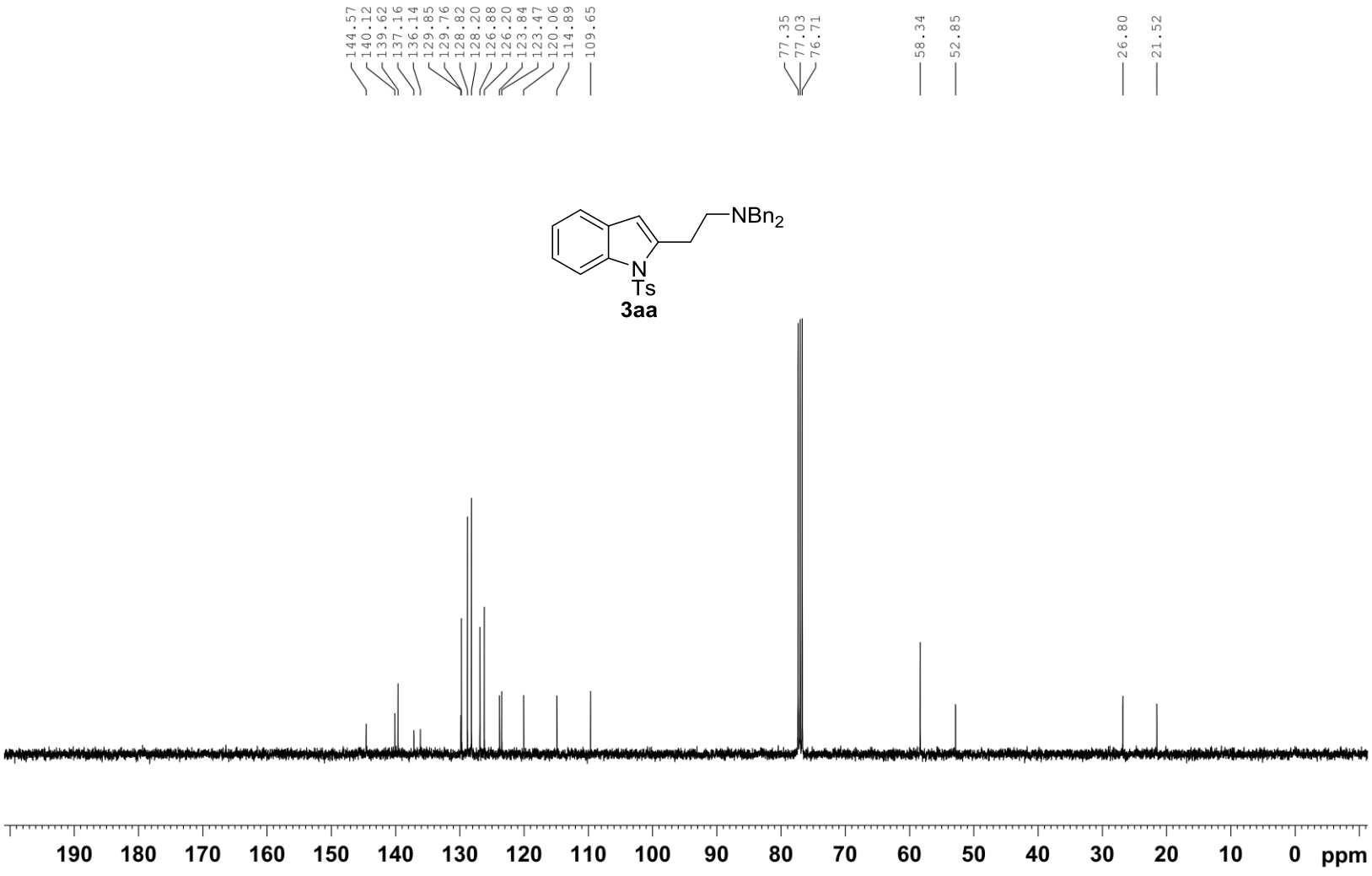
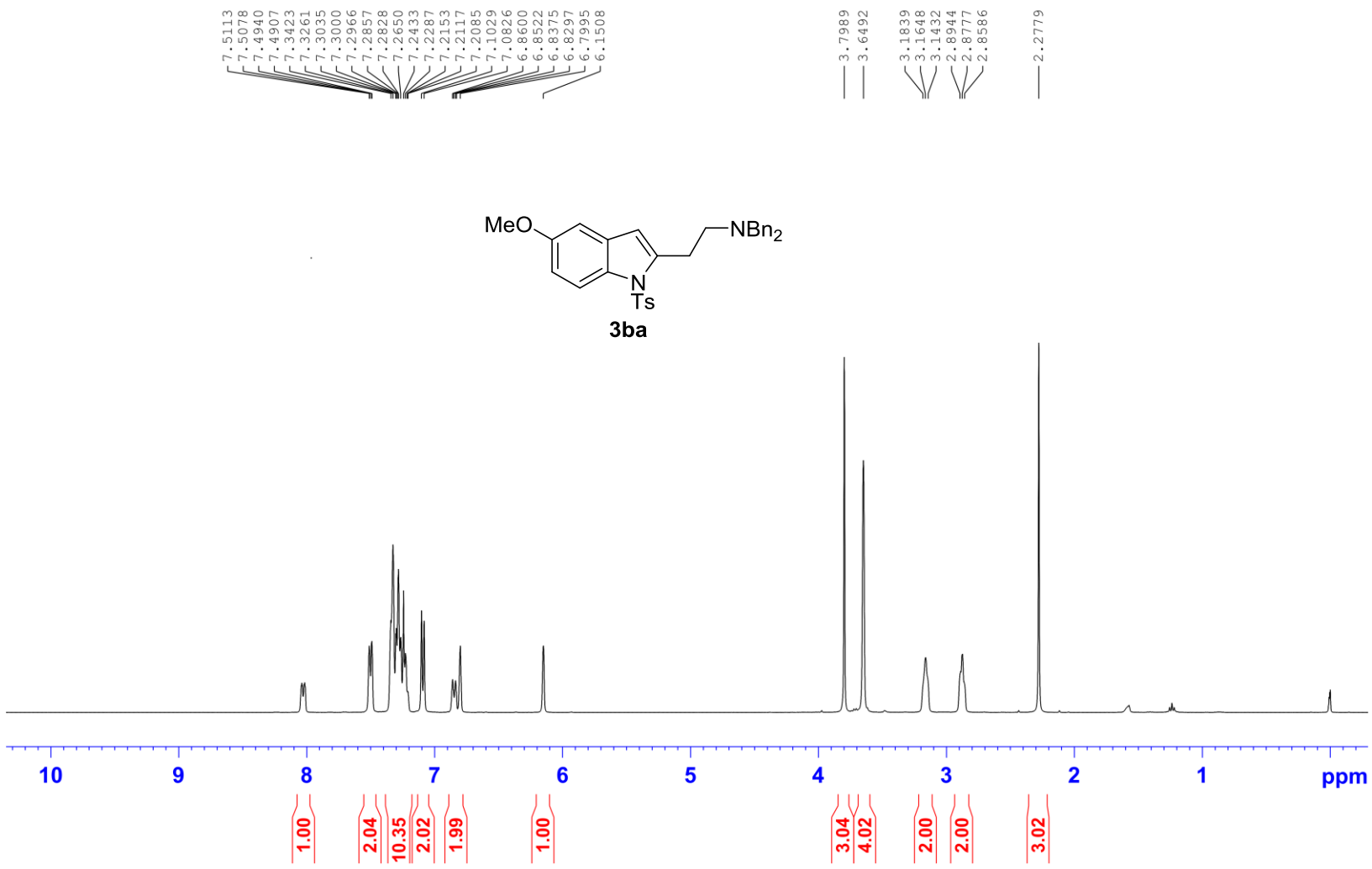


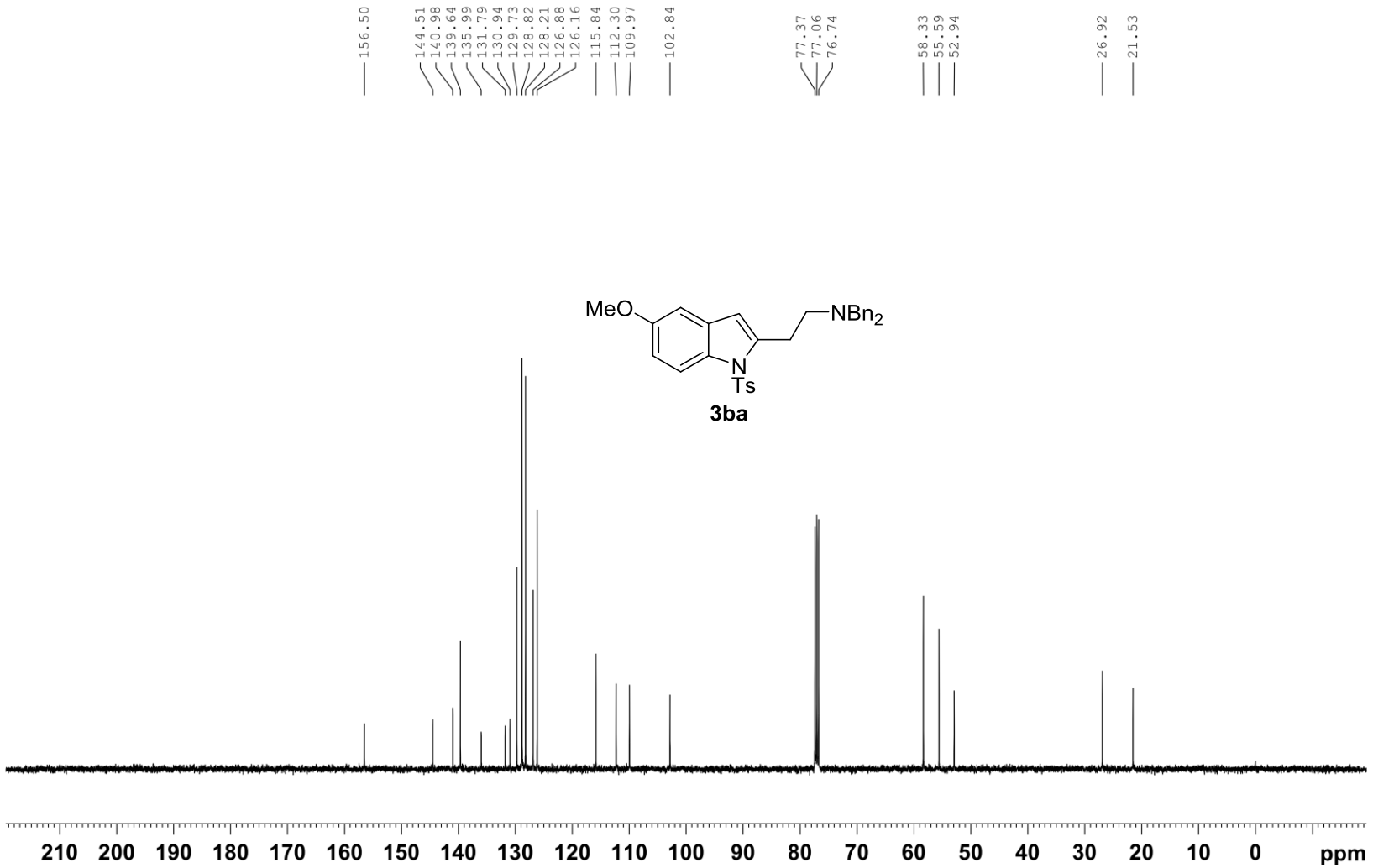
LLX-X15Z08-1-CNMR



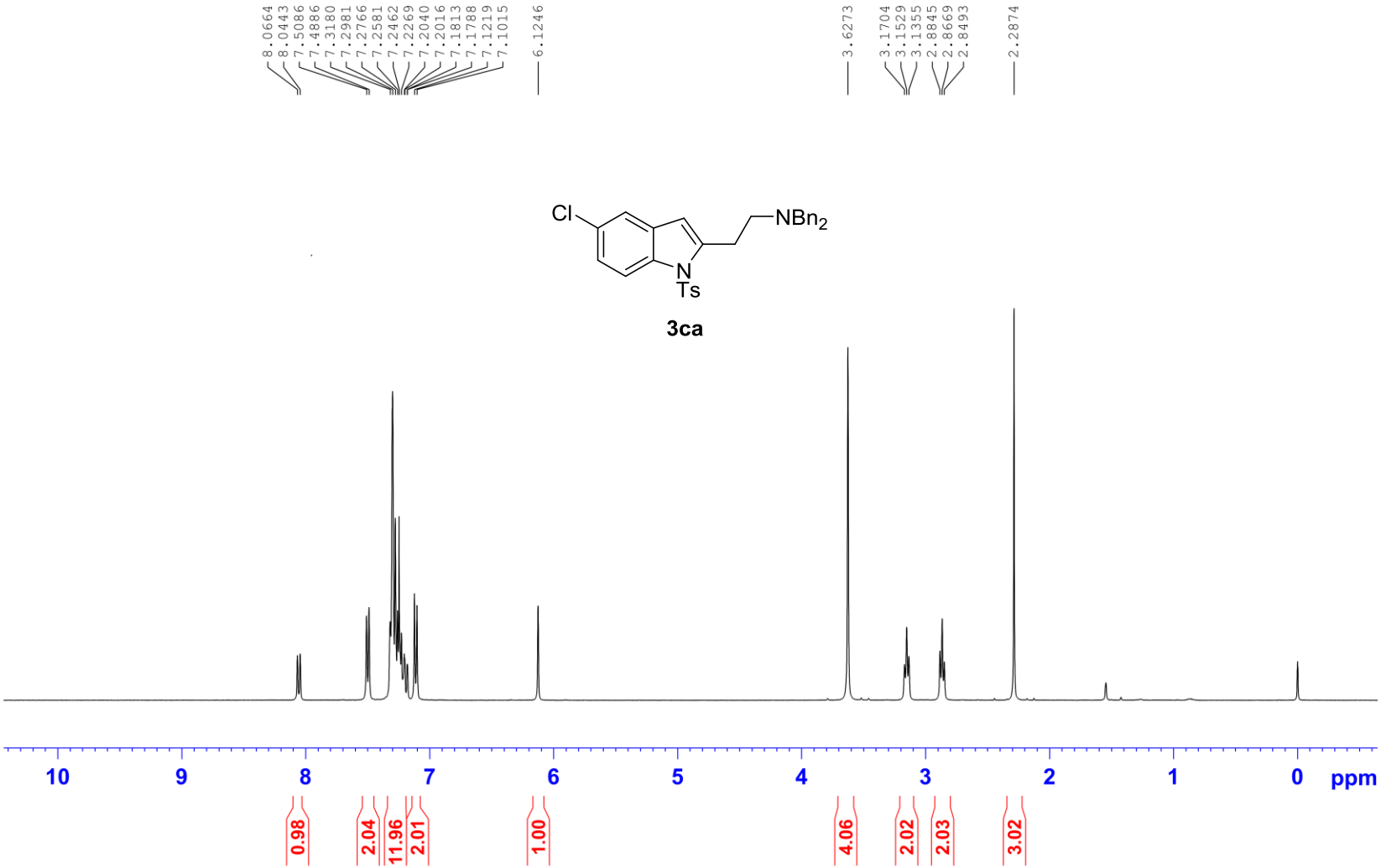
LLX-X16X17-3-H



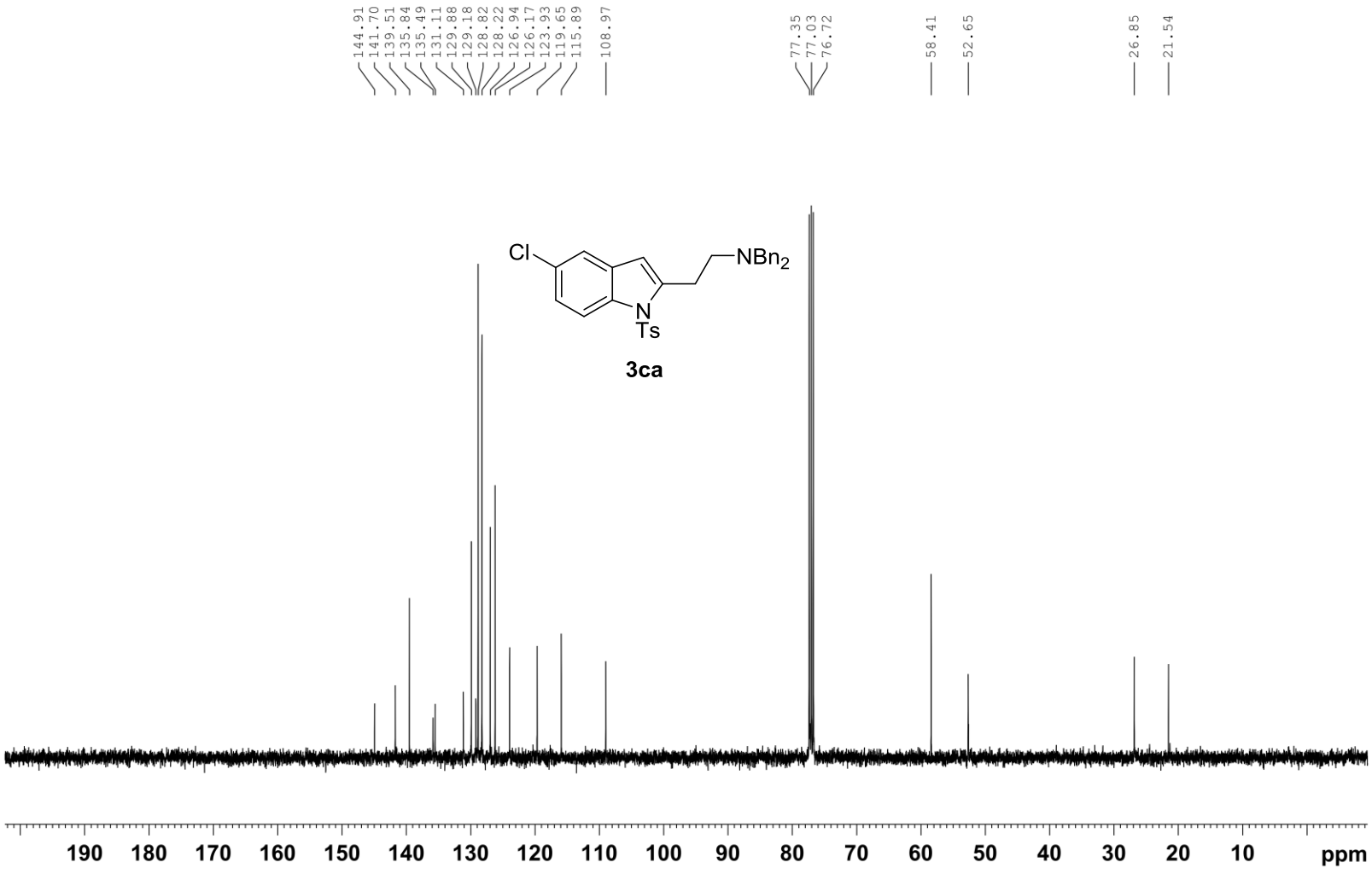
LLX-X16X17-3-C



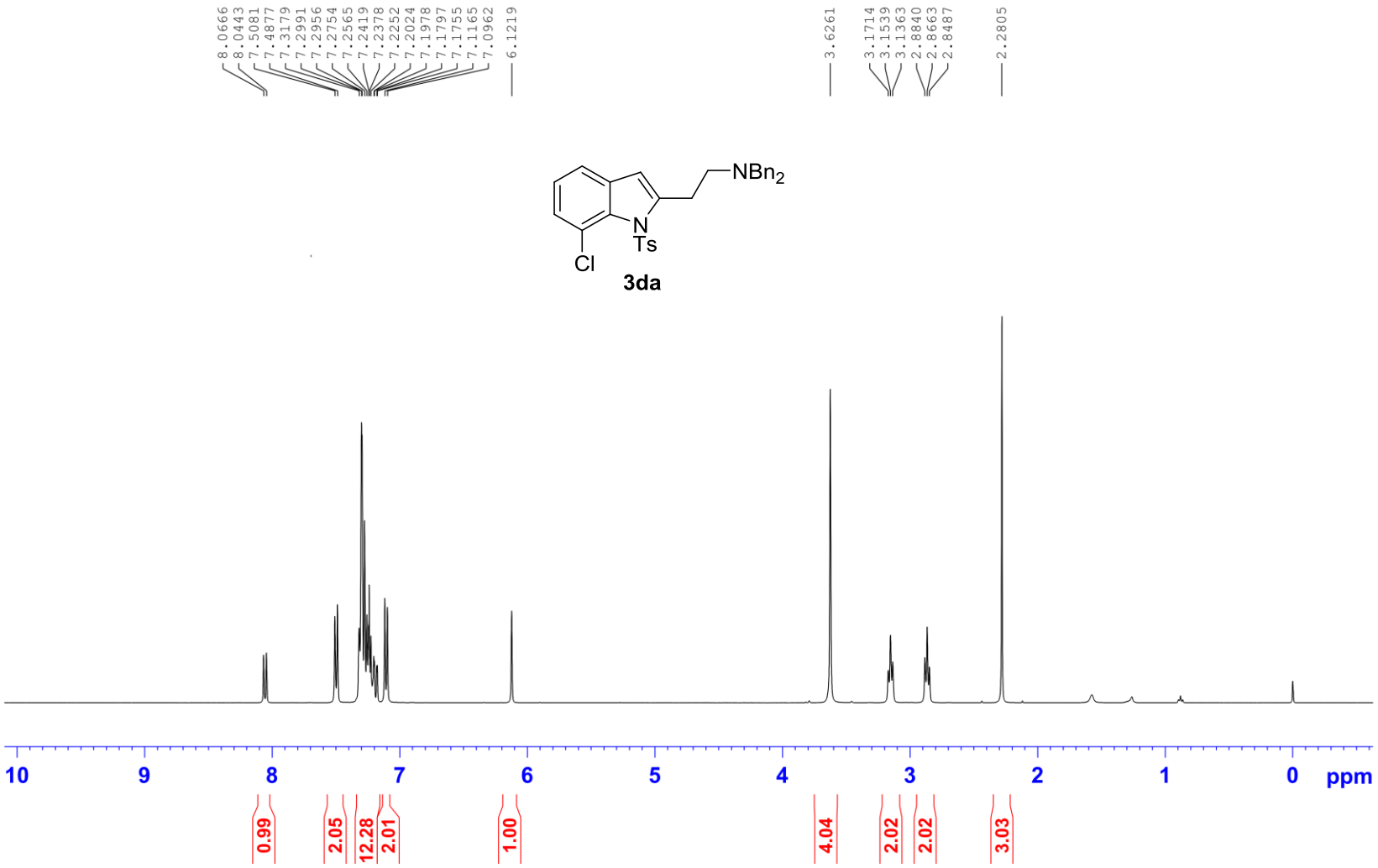
LLX-X160406-1-HNMR(13)



LLX-X160406-1-CNMR(14)



LLX-X160829-4-HNMR



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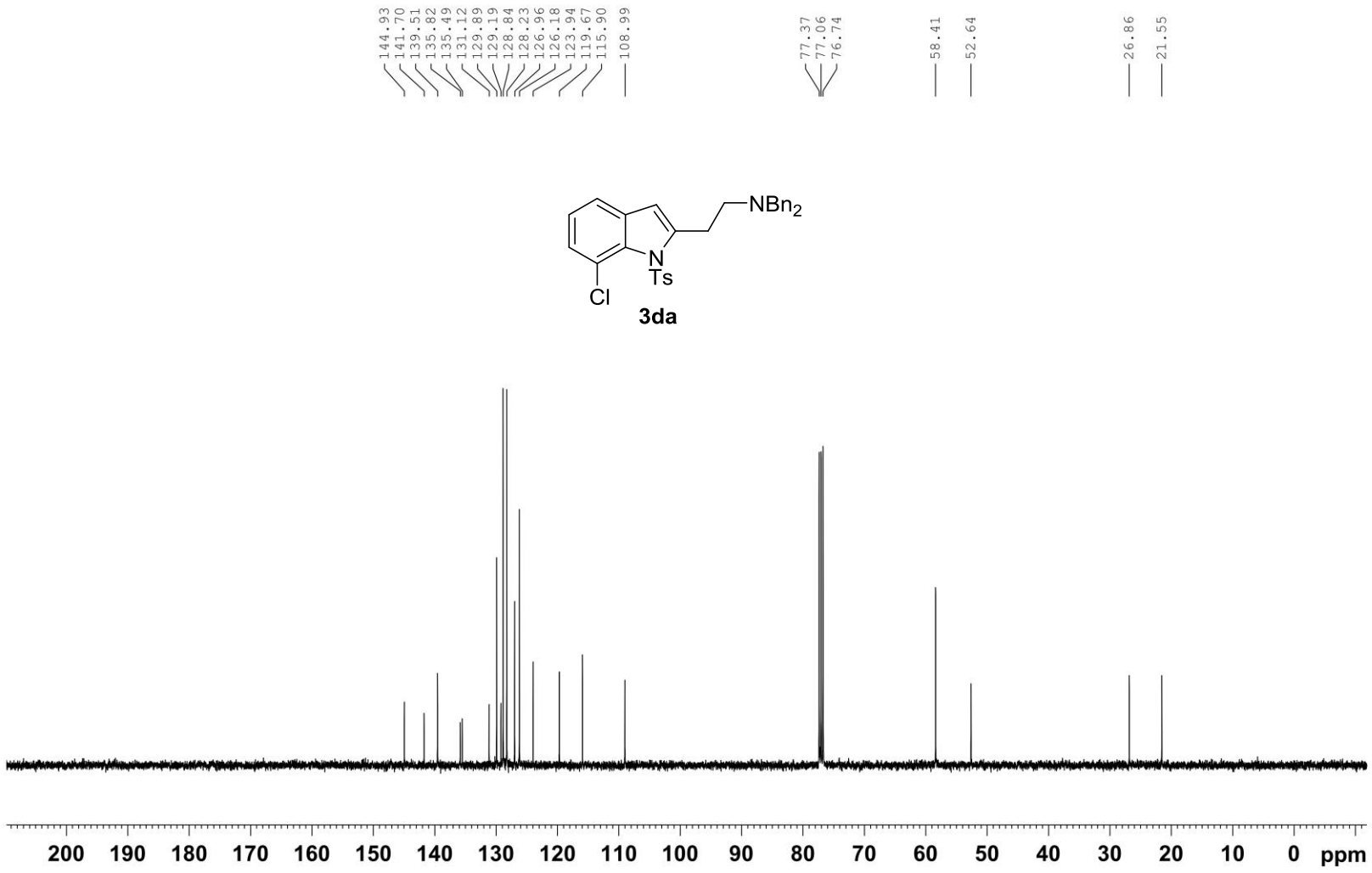

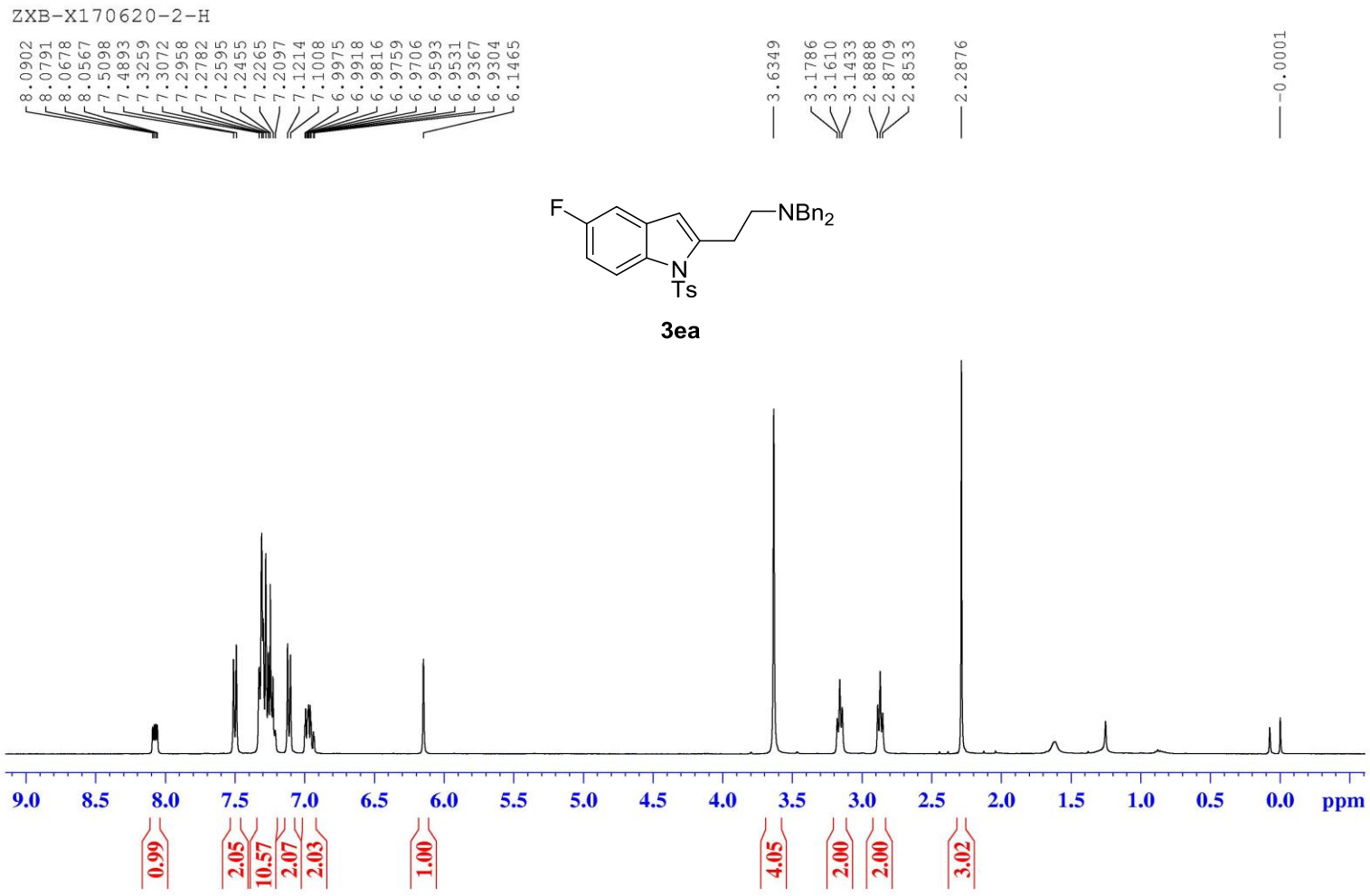
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6.9918
6.9816
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6.9706
6.9593
6.9531
6.9367
6.9304
6.1465
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3.1786
3.1610
3.1433
2.8888
2.8709
2.8533
2.2876
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N
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8.0
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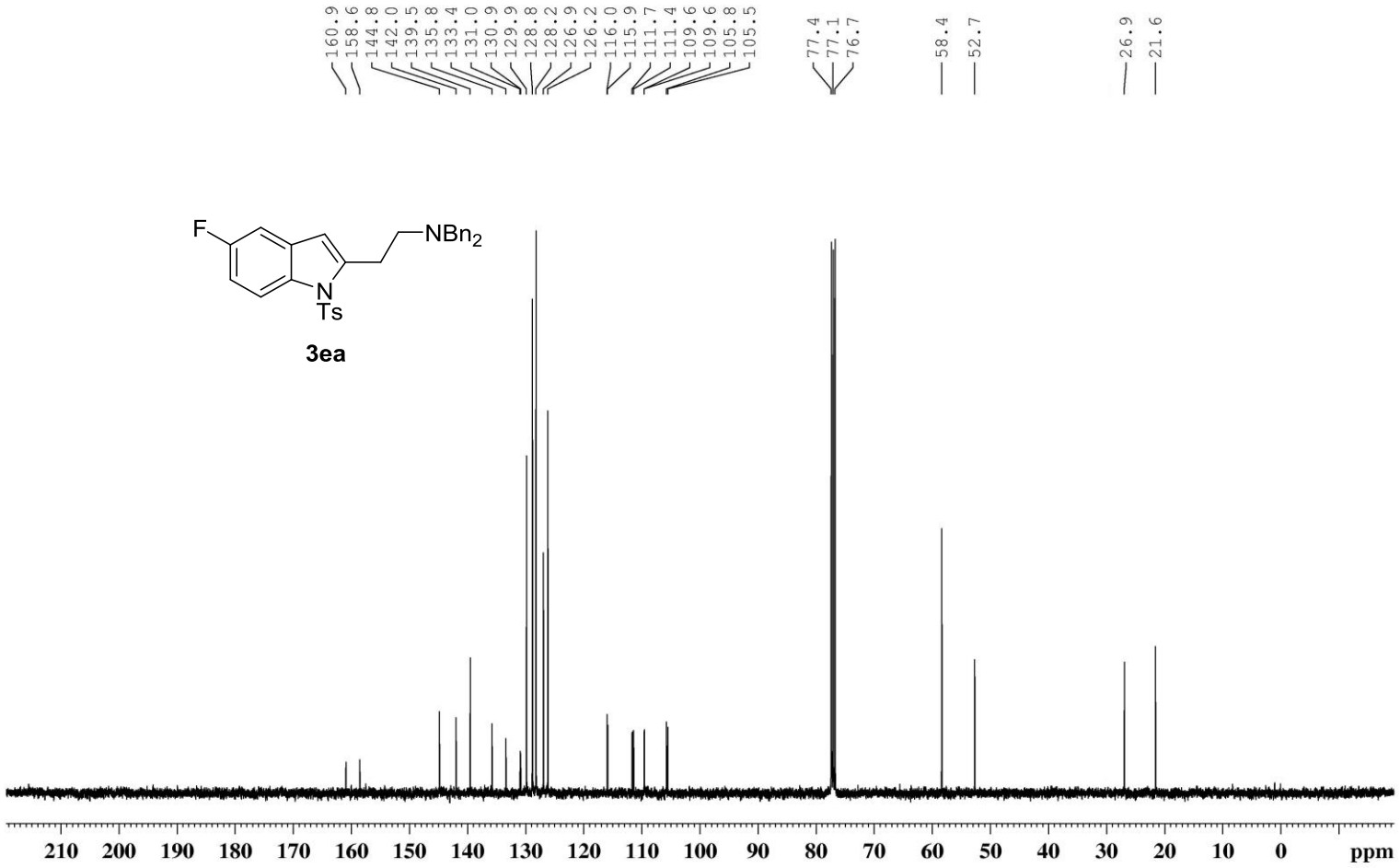

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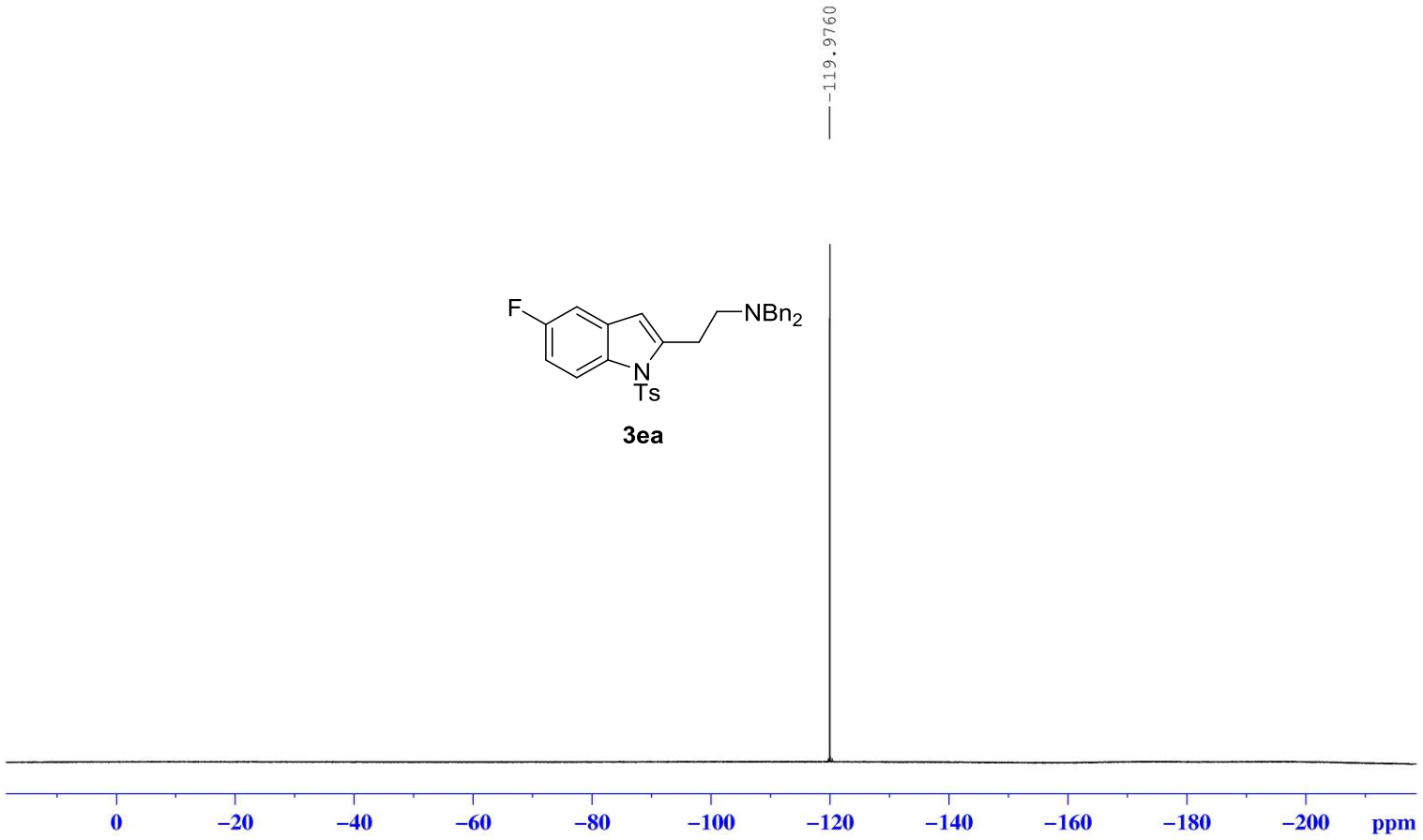

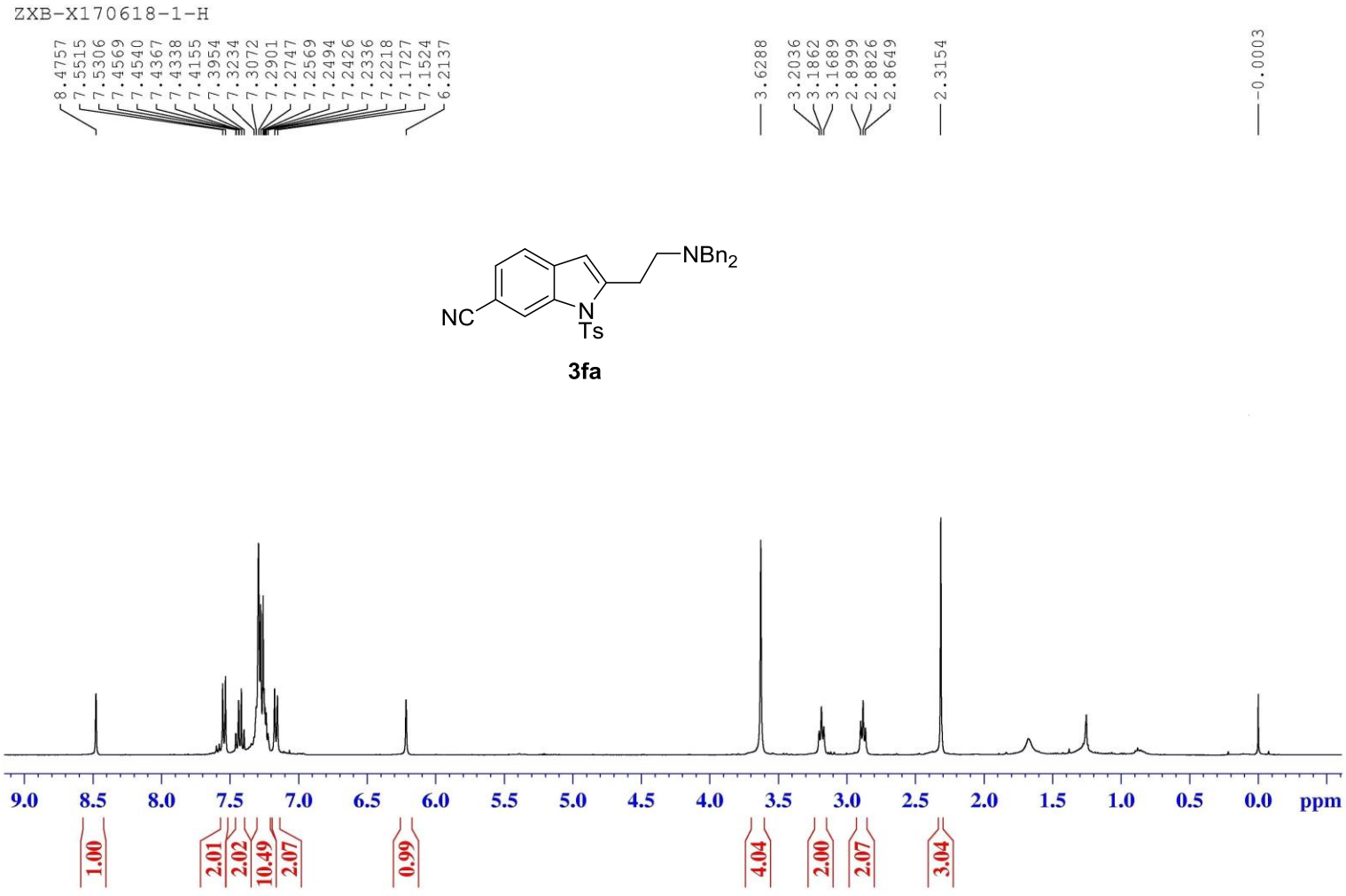
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3.1689
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2.8826
2.8649
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NC
N
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6.0
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5.0
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2.5
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1.0
0.5
0.0
ppm
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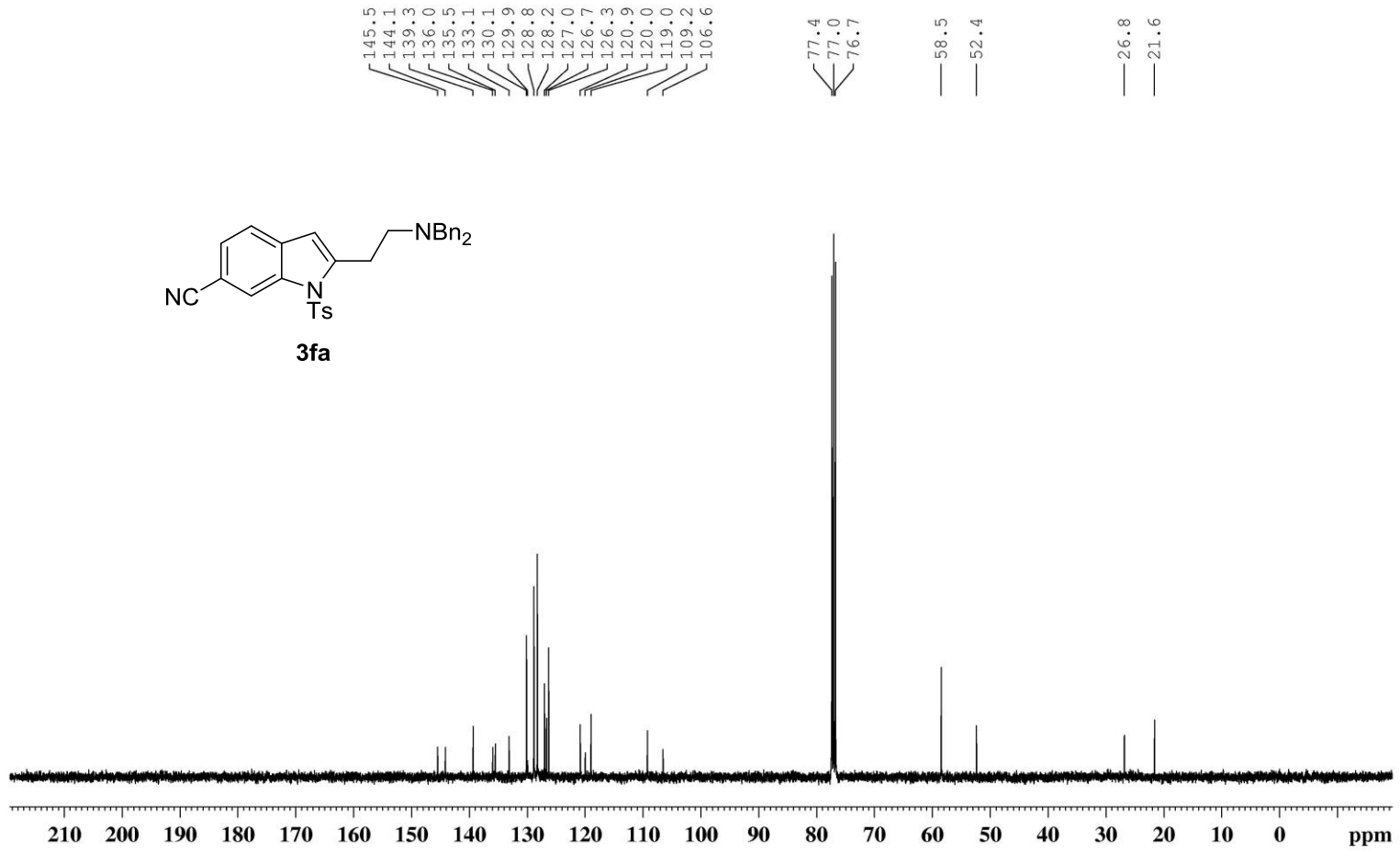
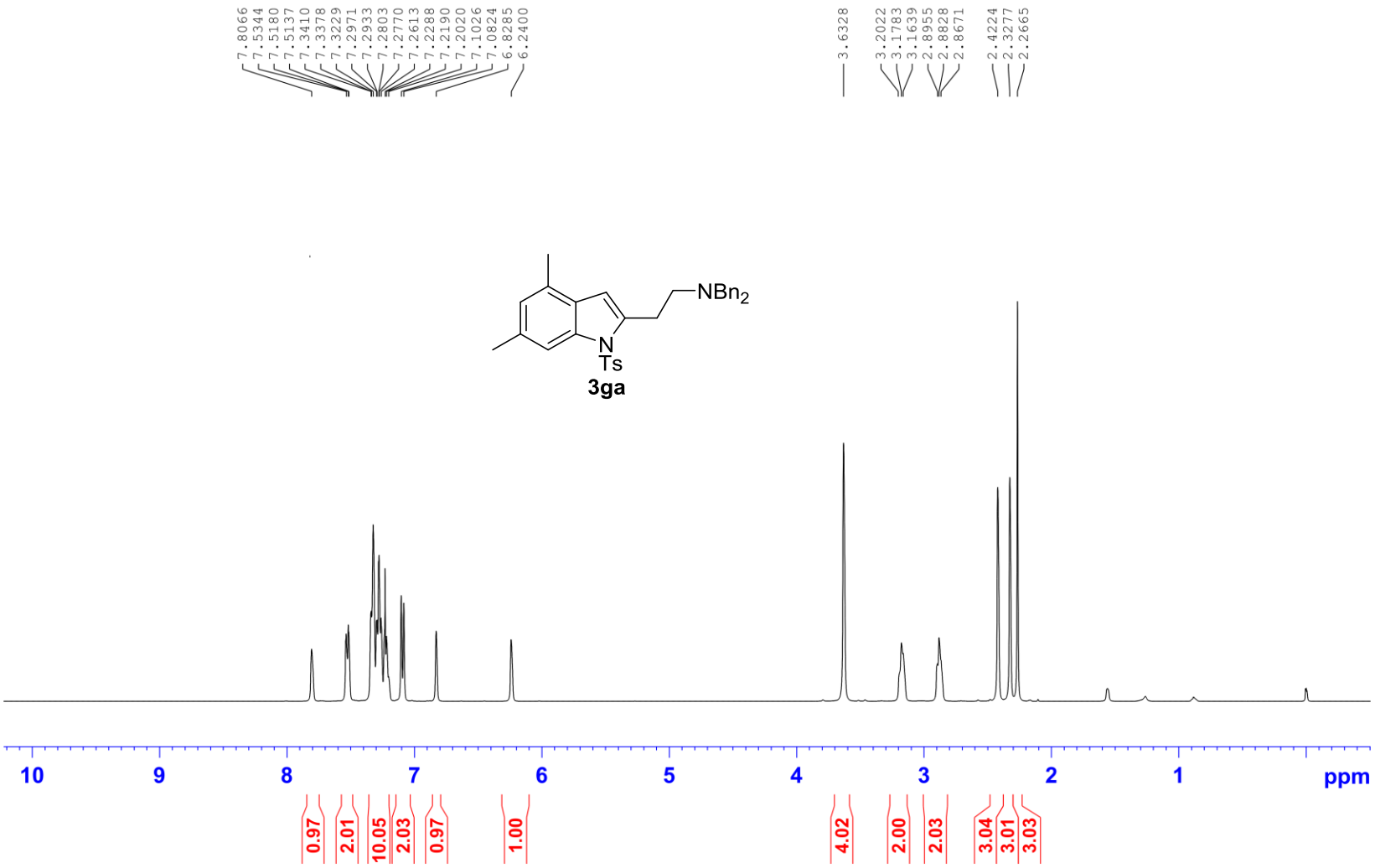
3.04

ZXB-X170618-1-C



LLX-X160829-3-HNMR



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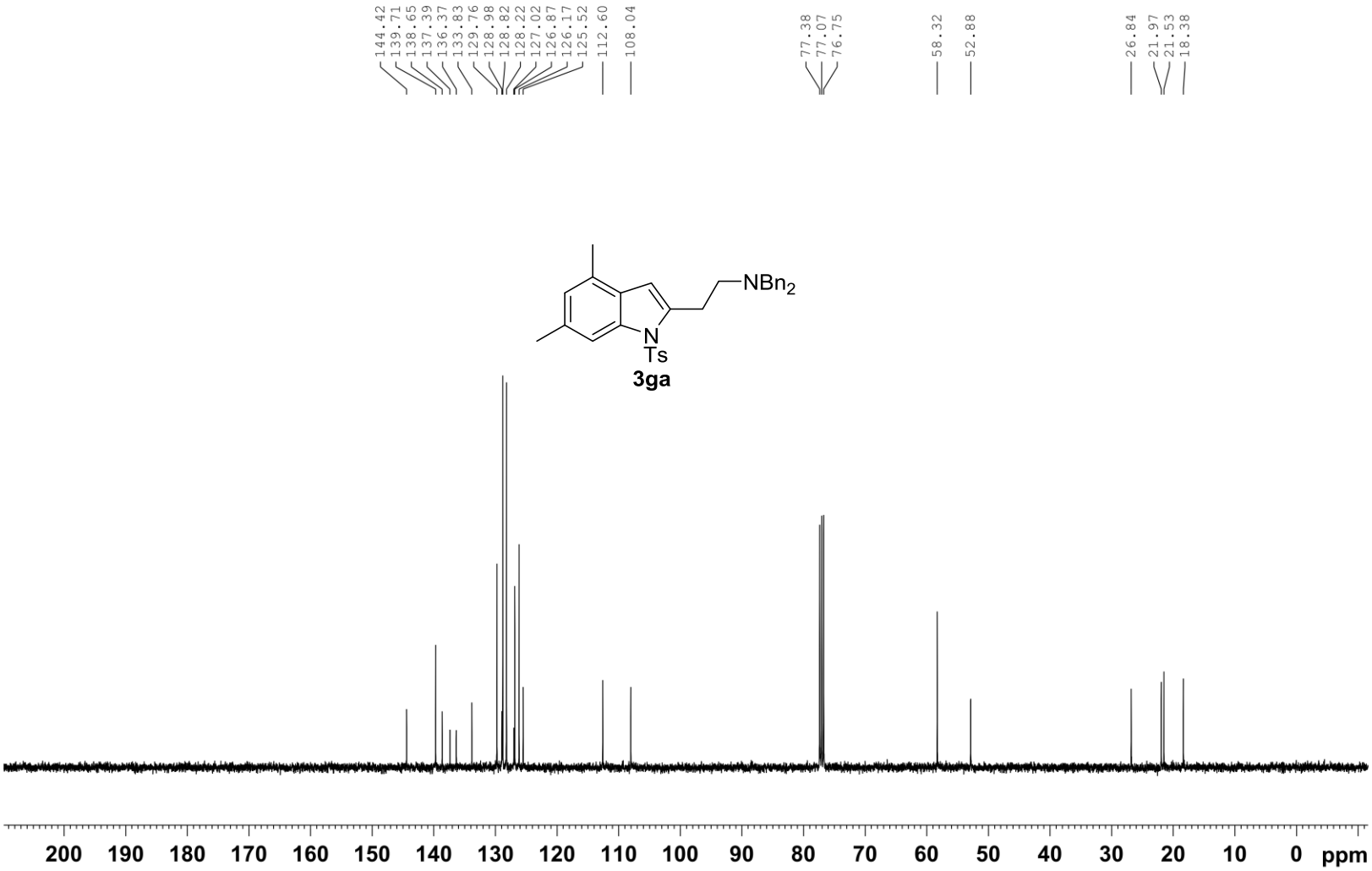

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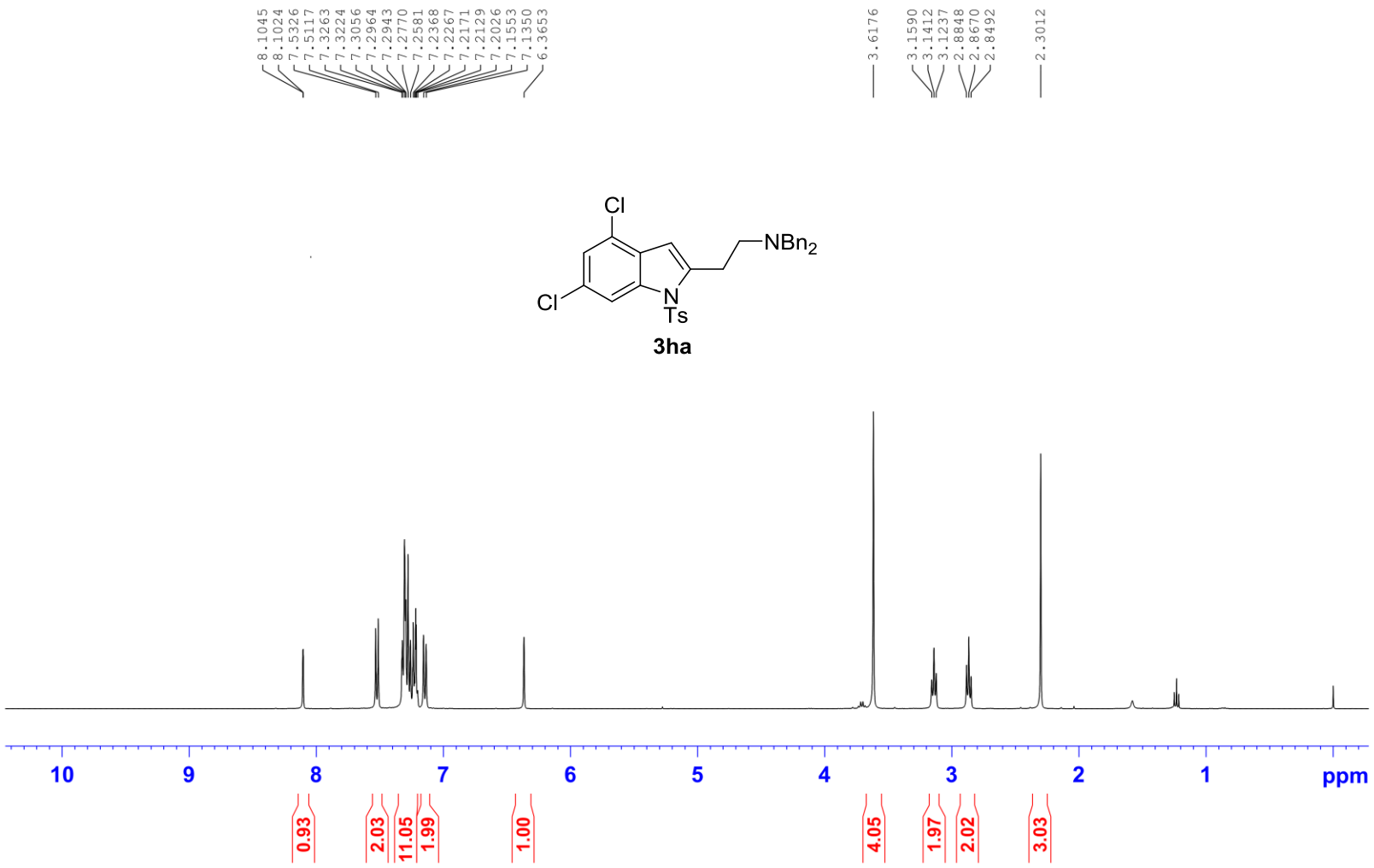

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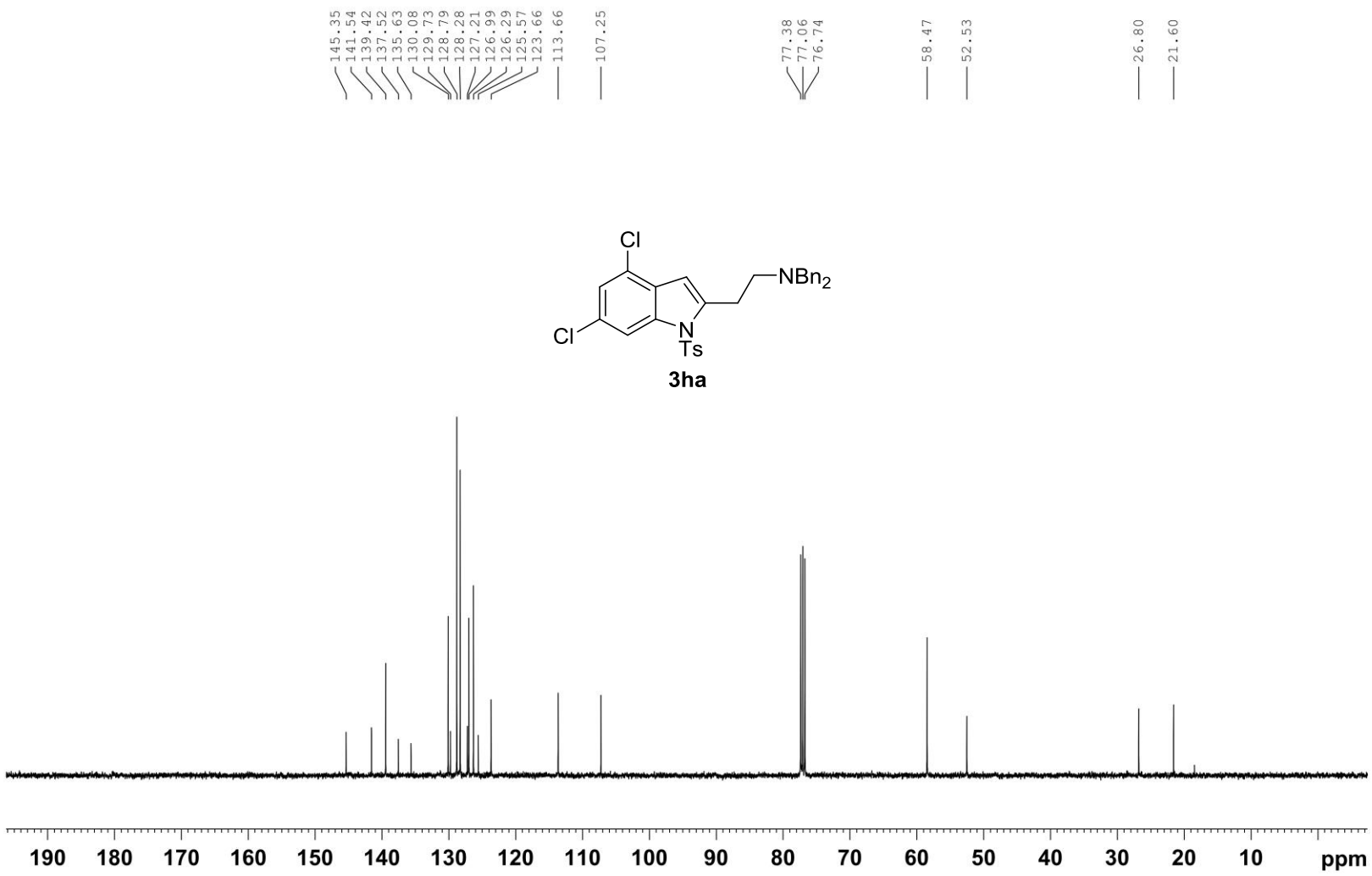
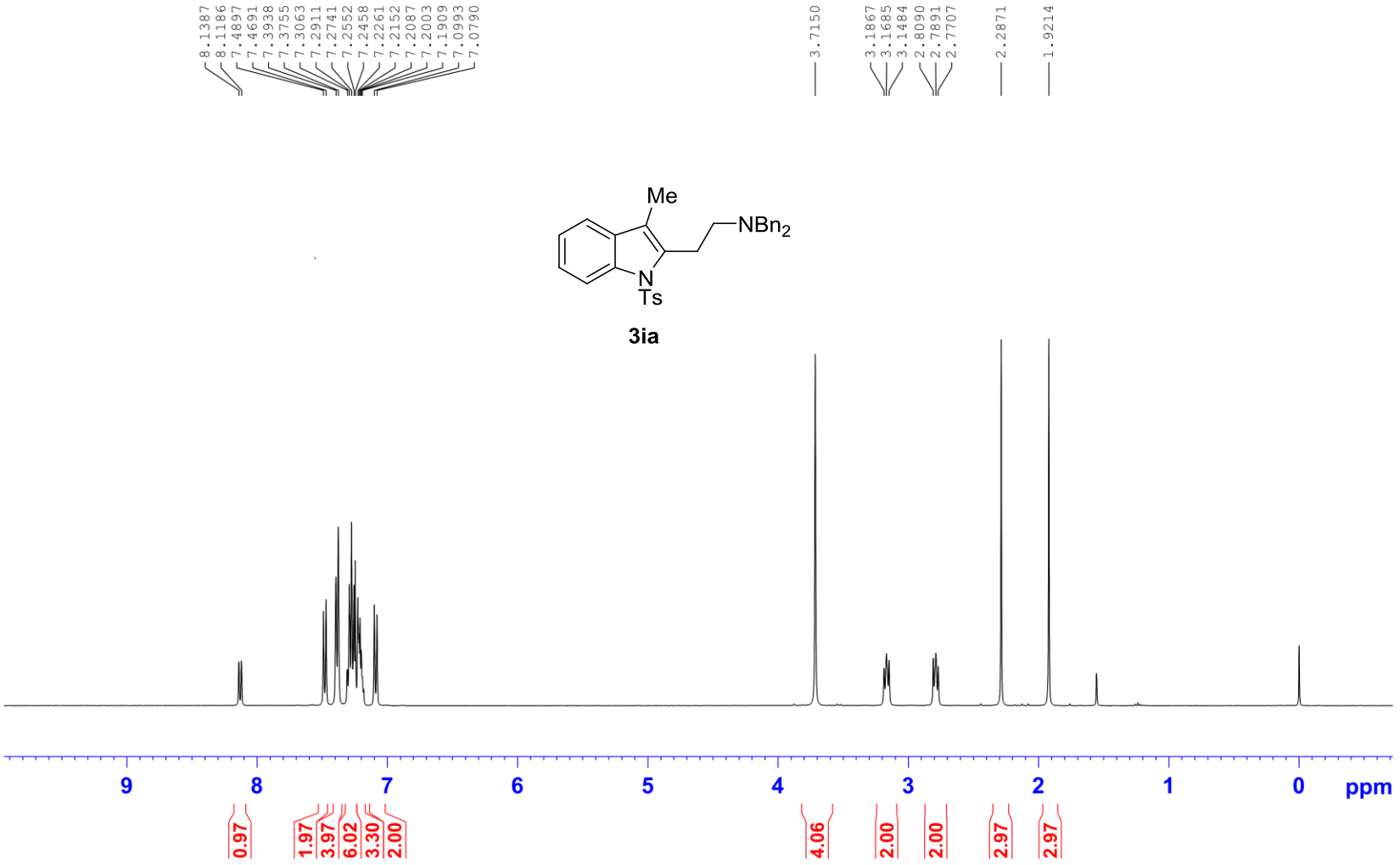


LLX-X16Y09-2-HNMR



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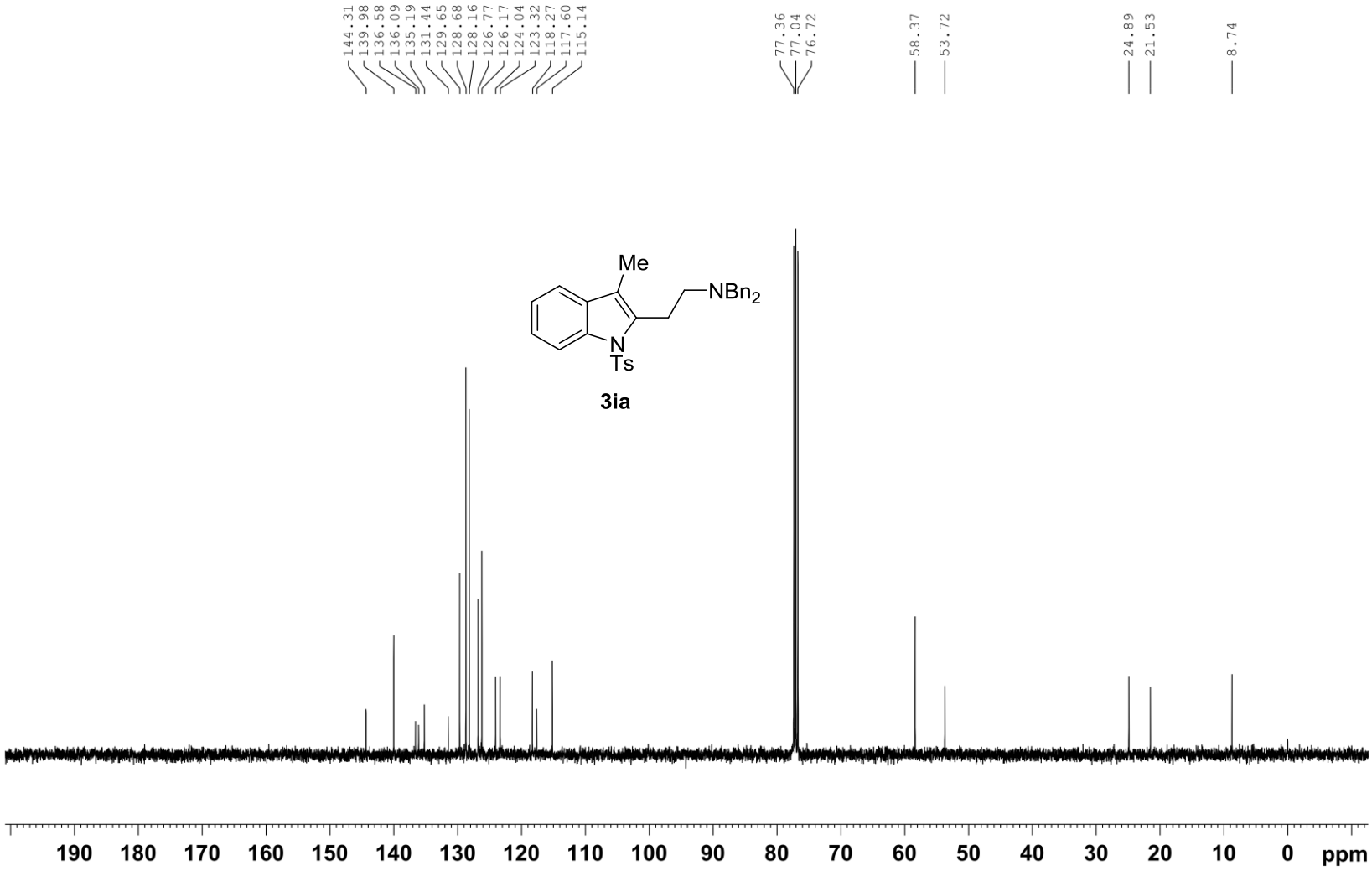

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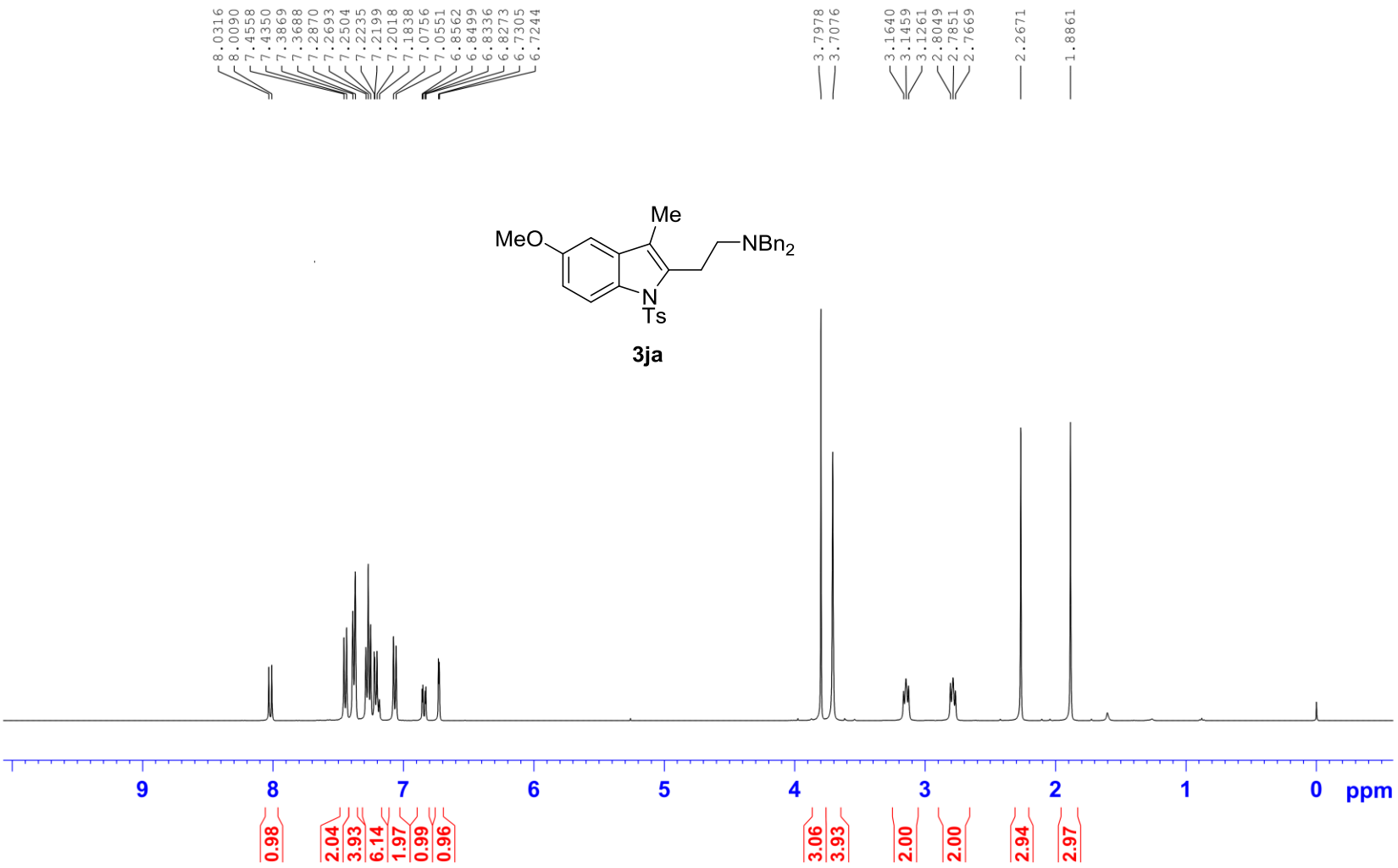

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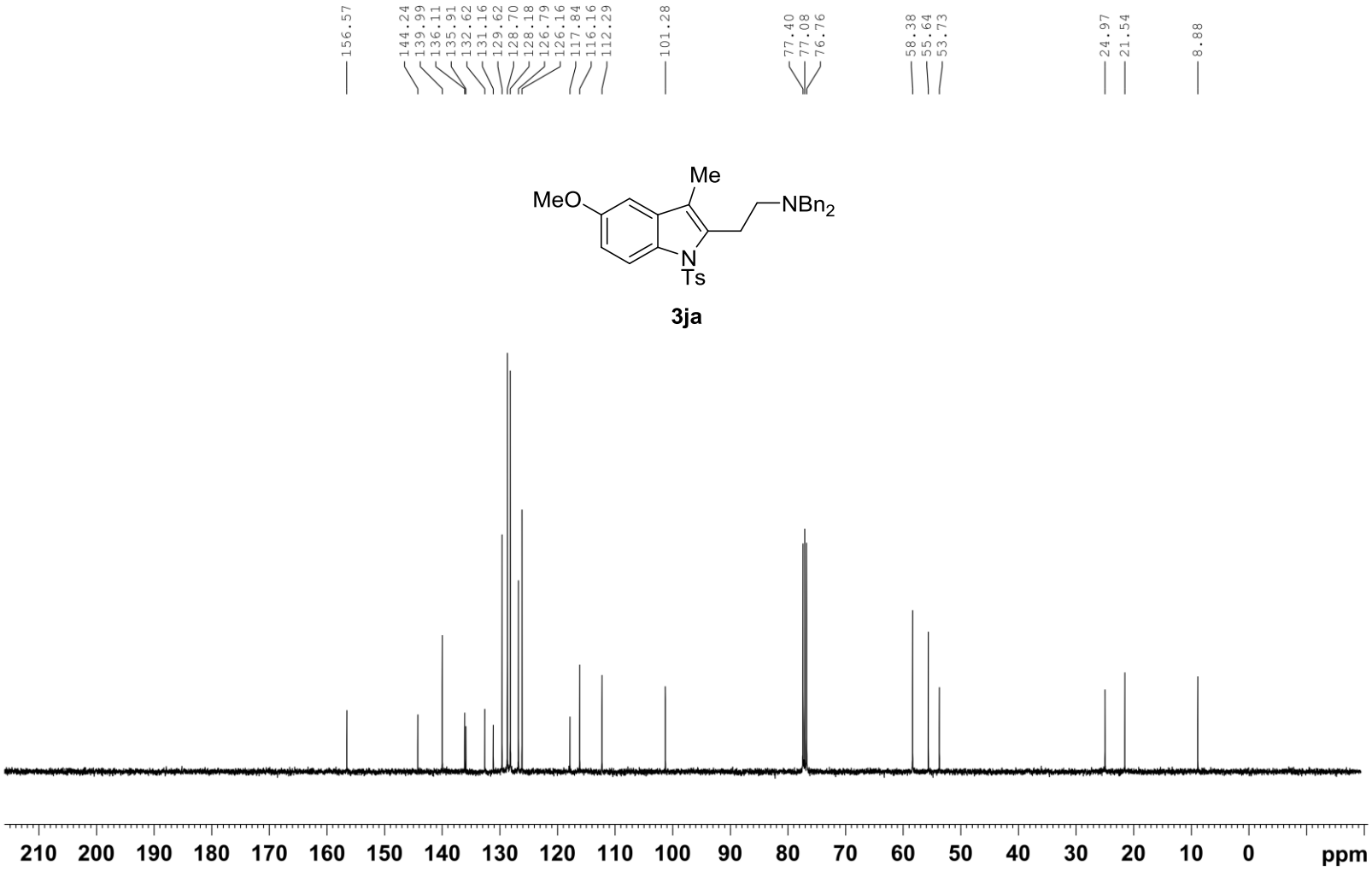

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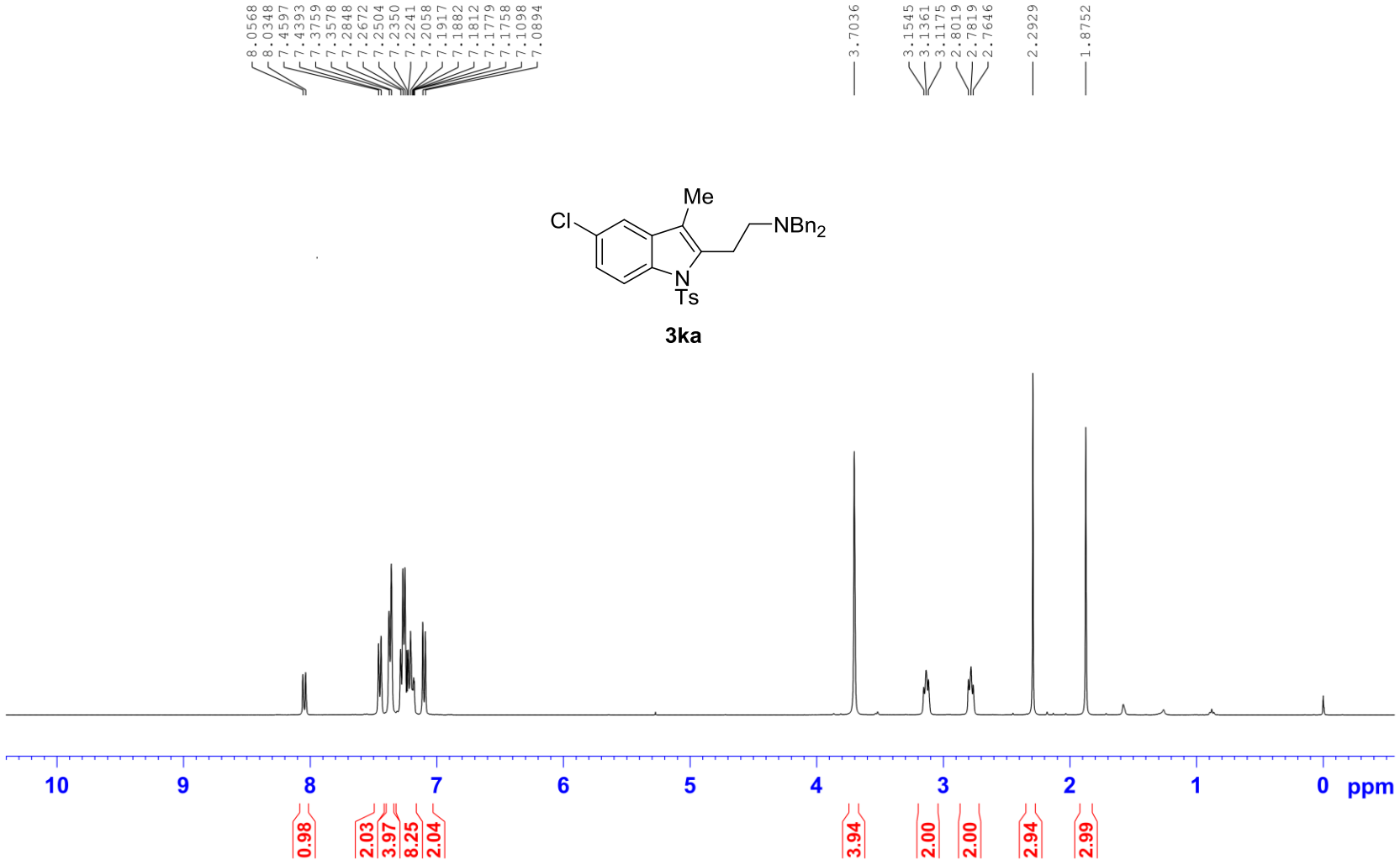

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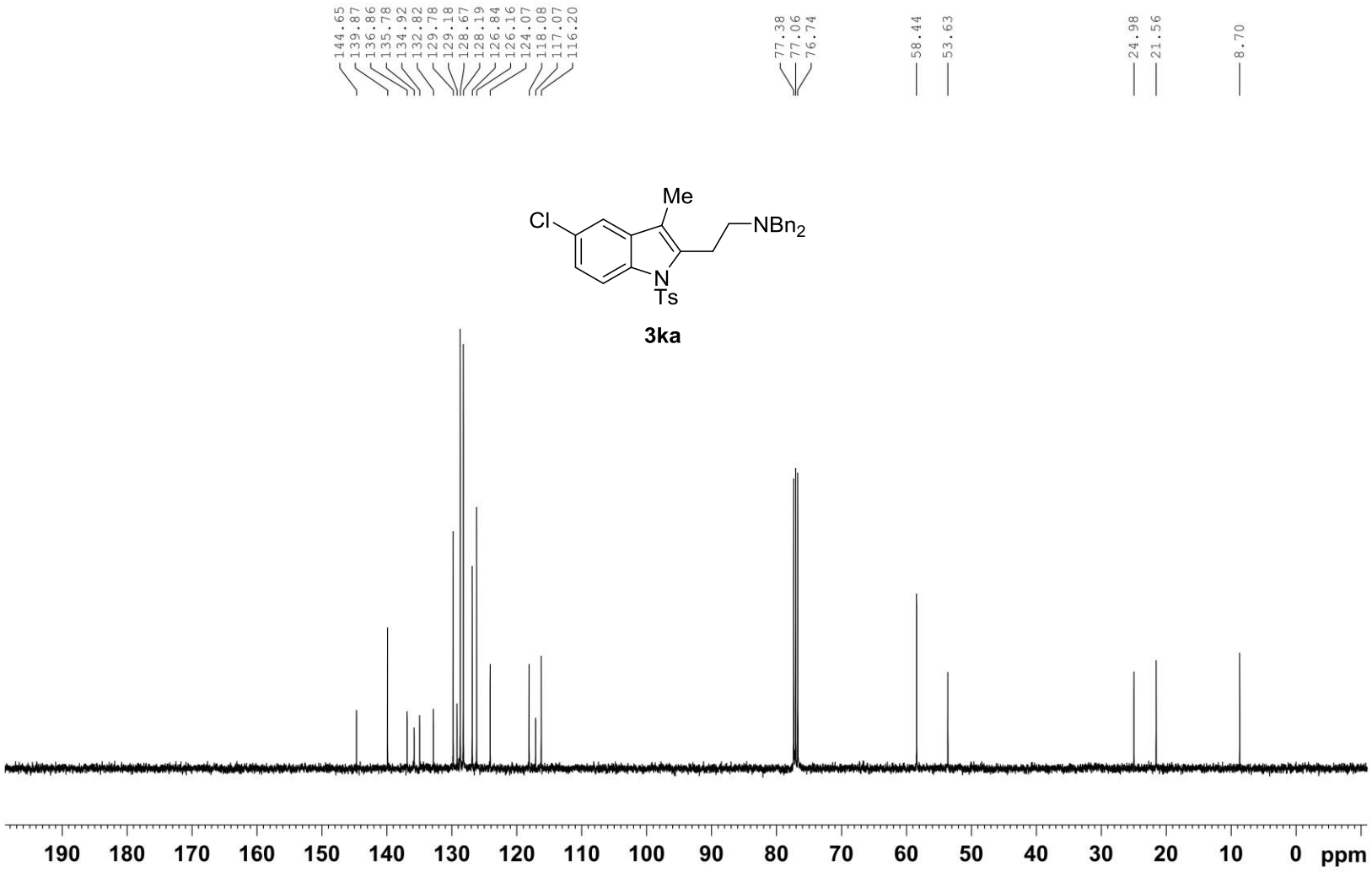
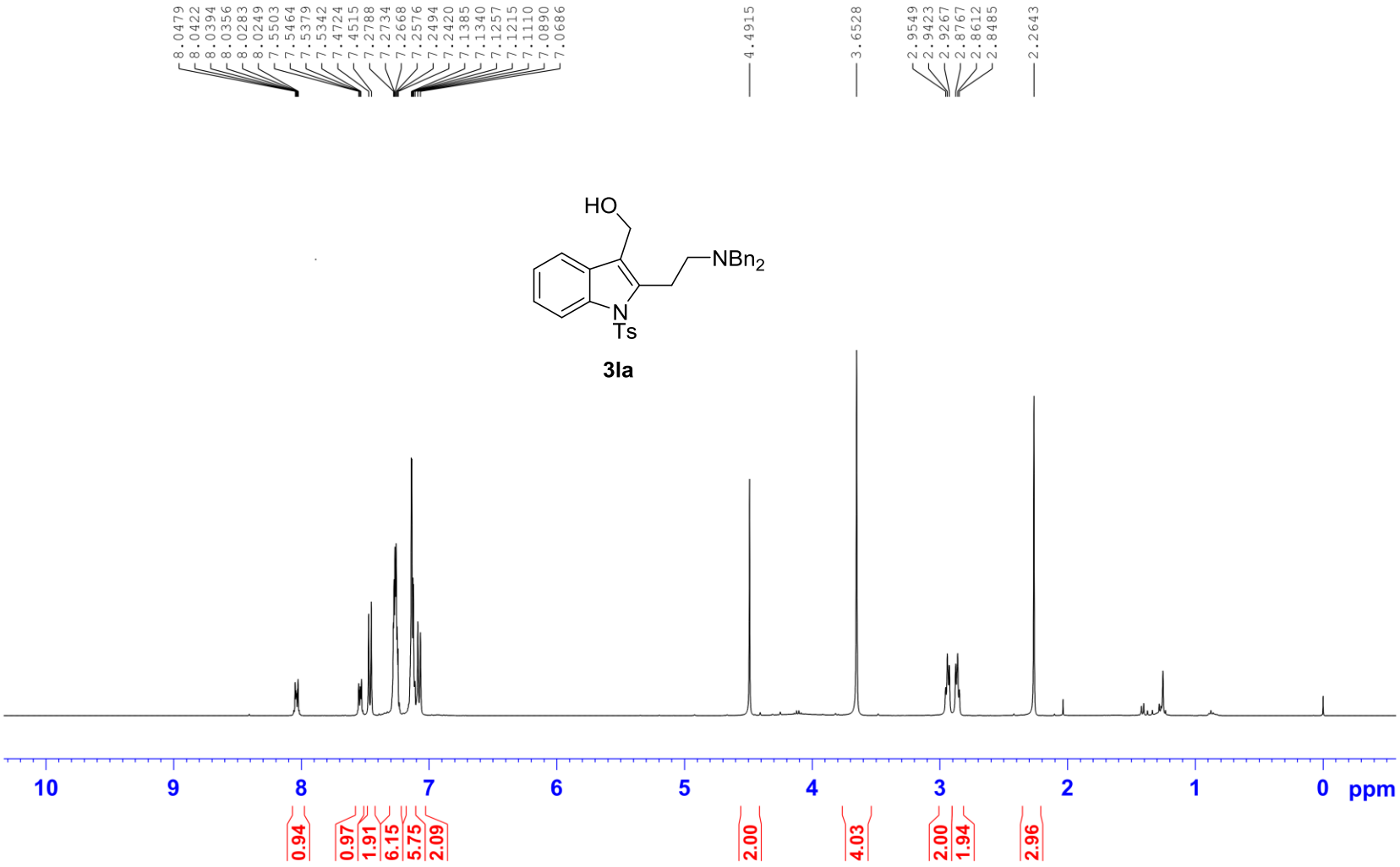


LLX-X160818-1-HNMR



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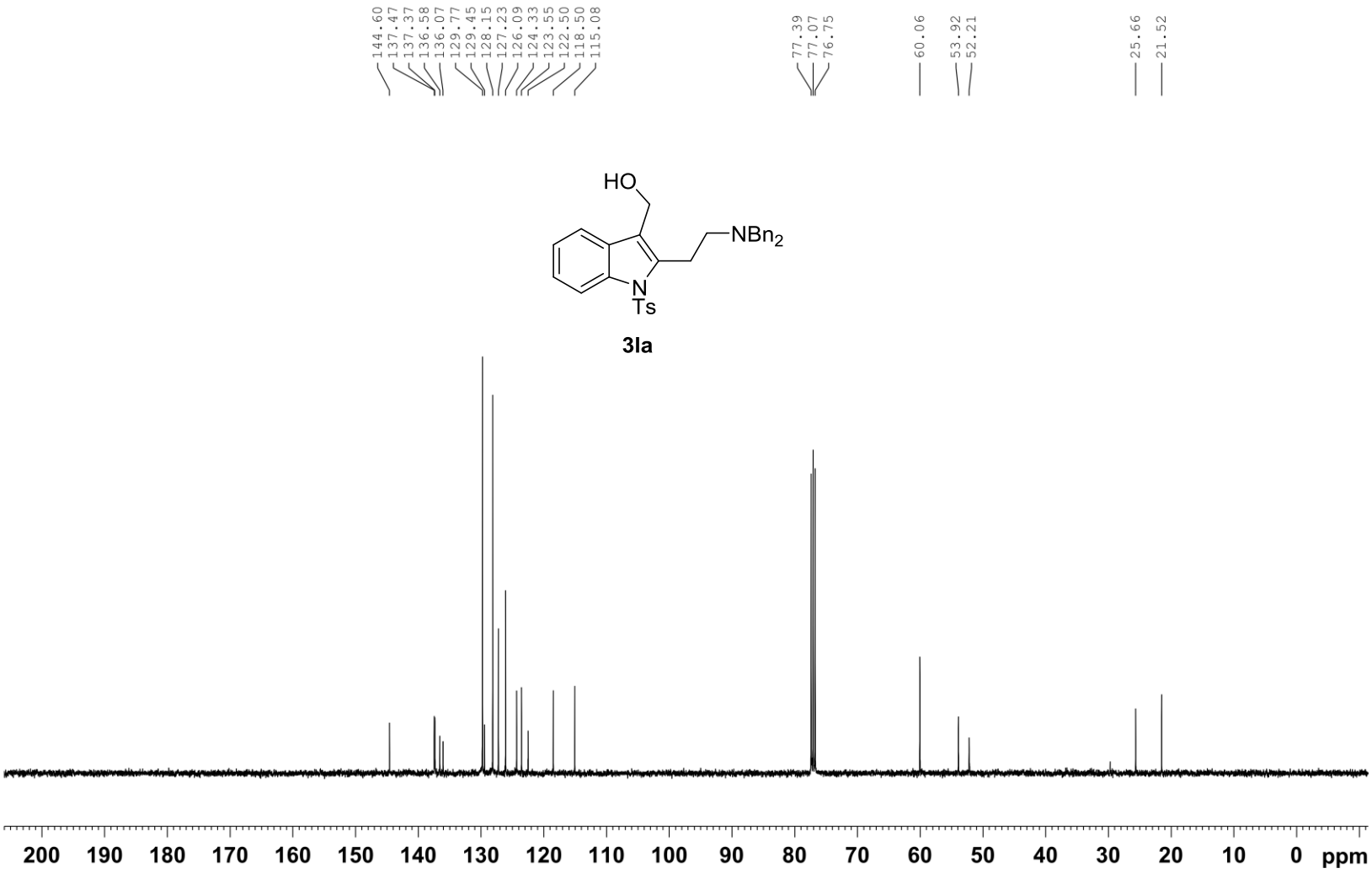

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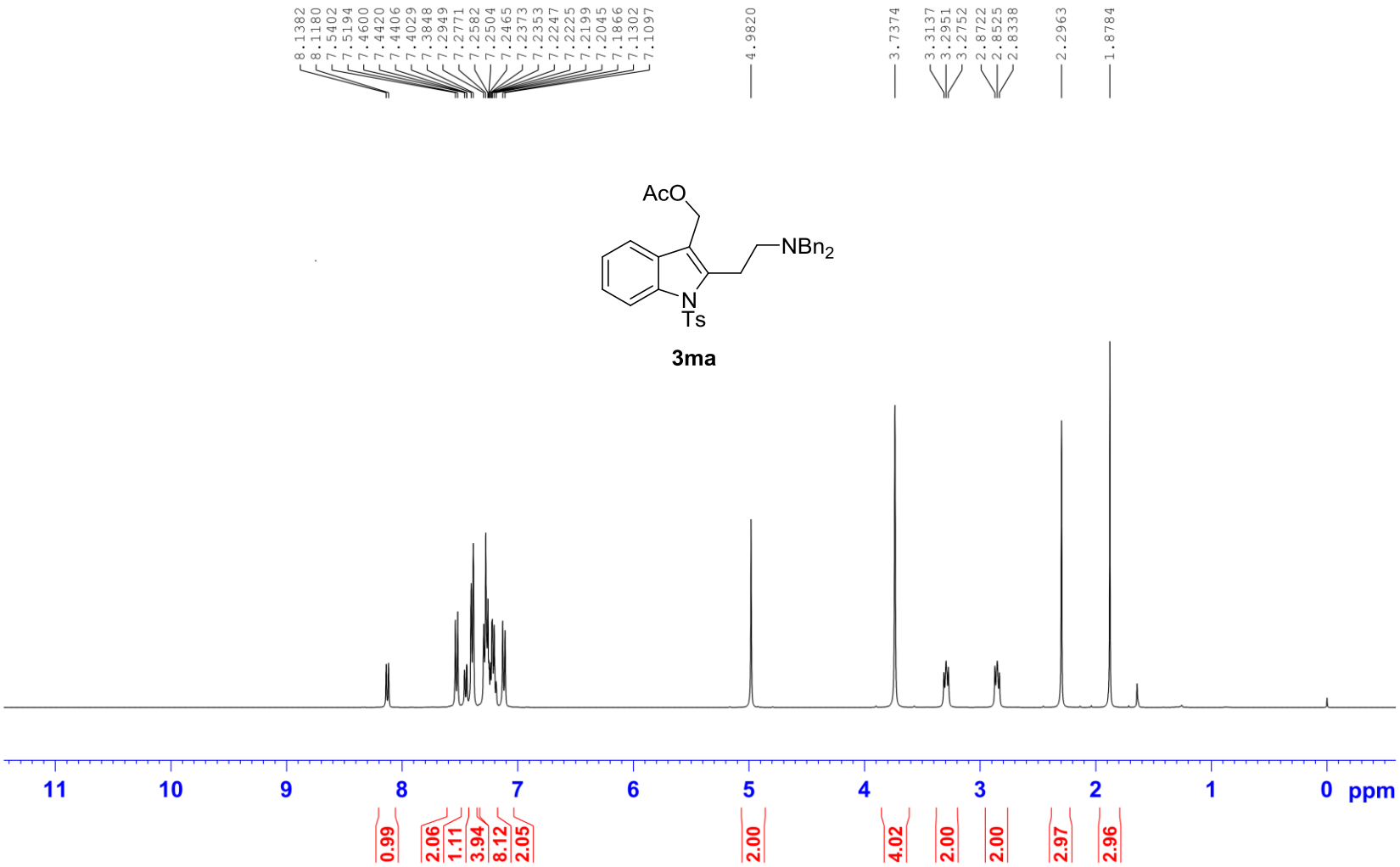

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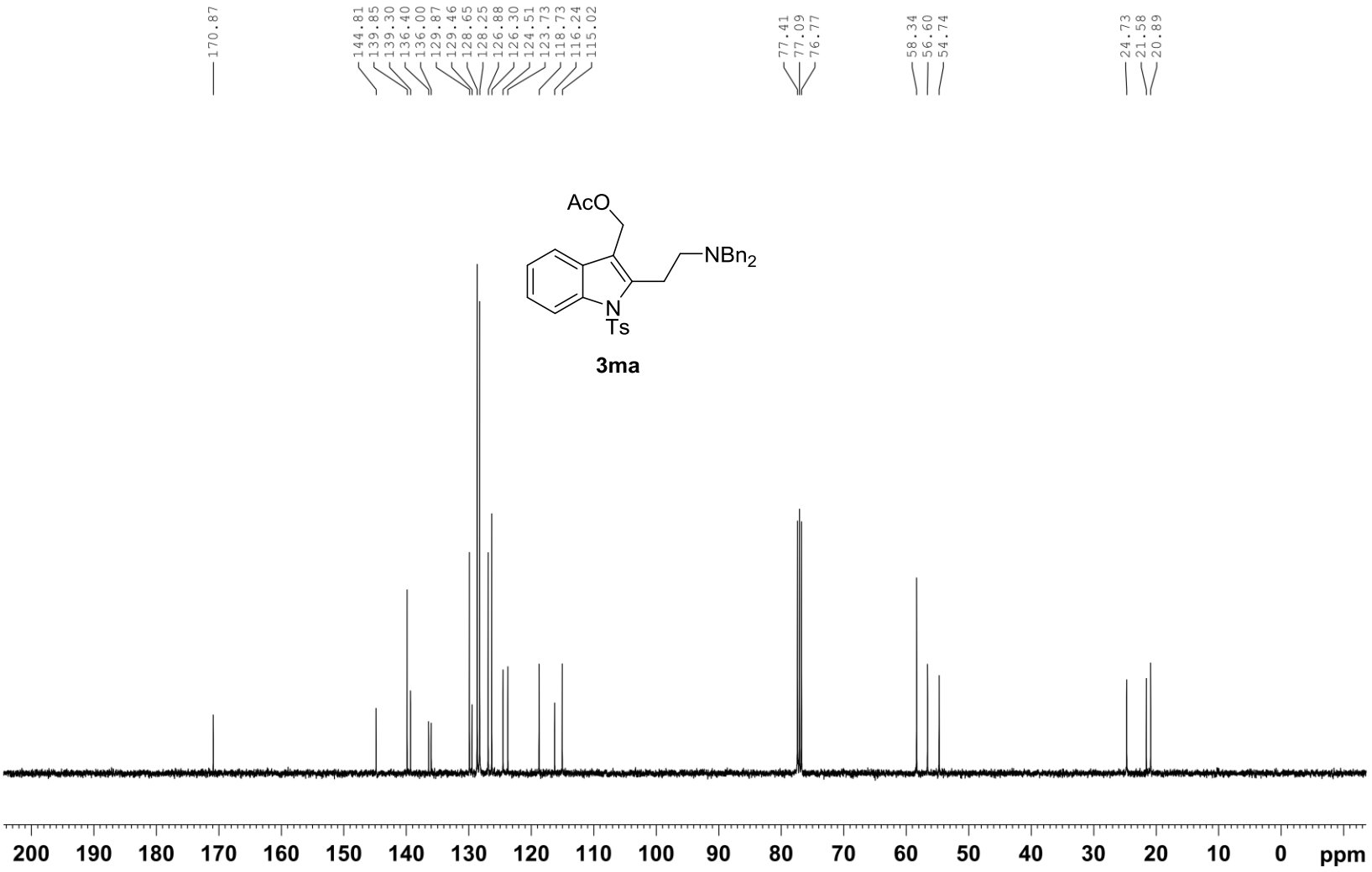
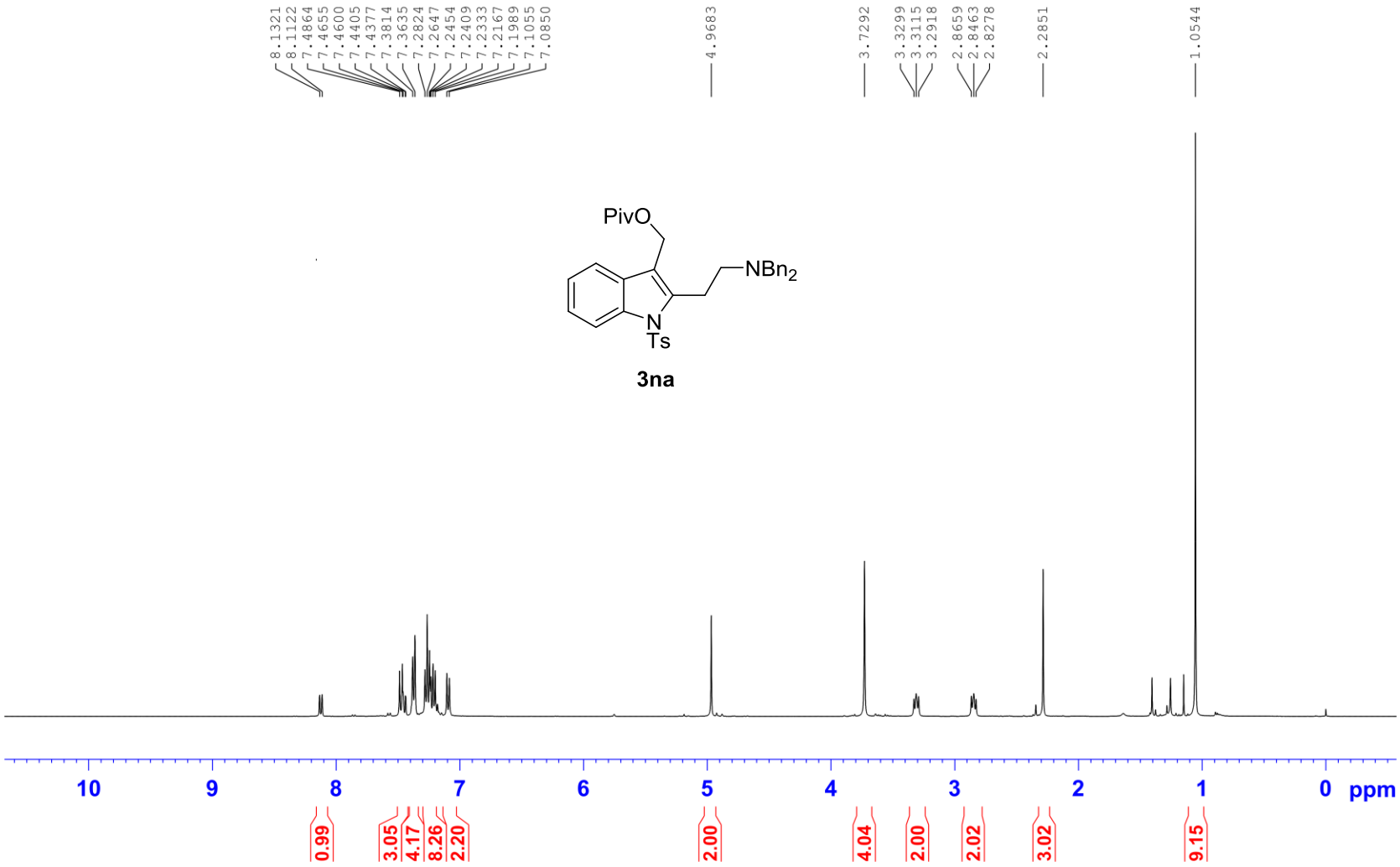


LLX-X160818-3-HNMR



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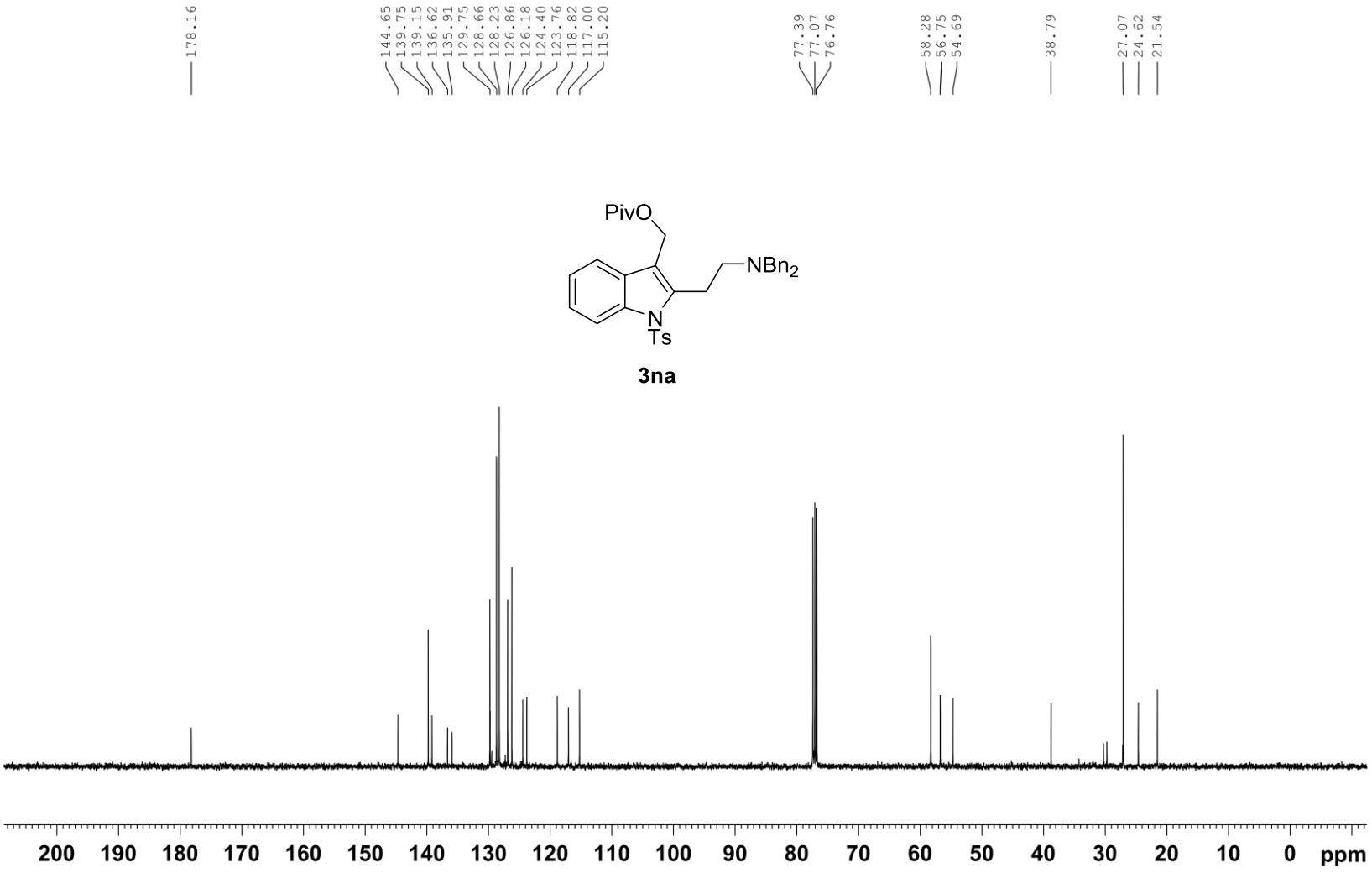
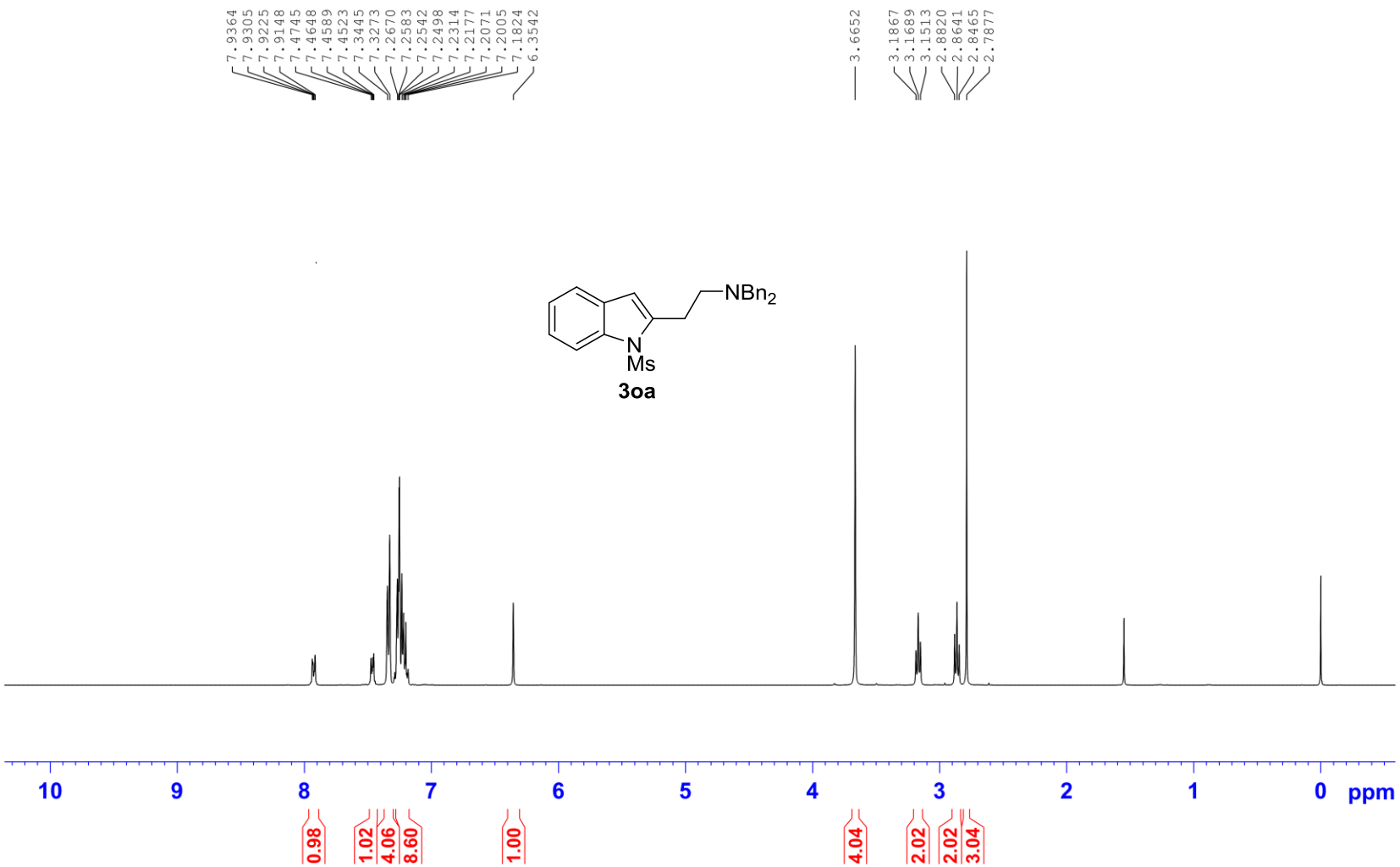


LLX-X16Y14-1-HNMR



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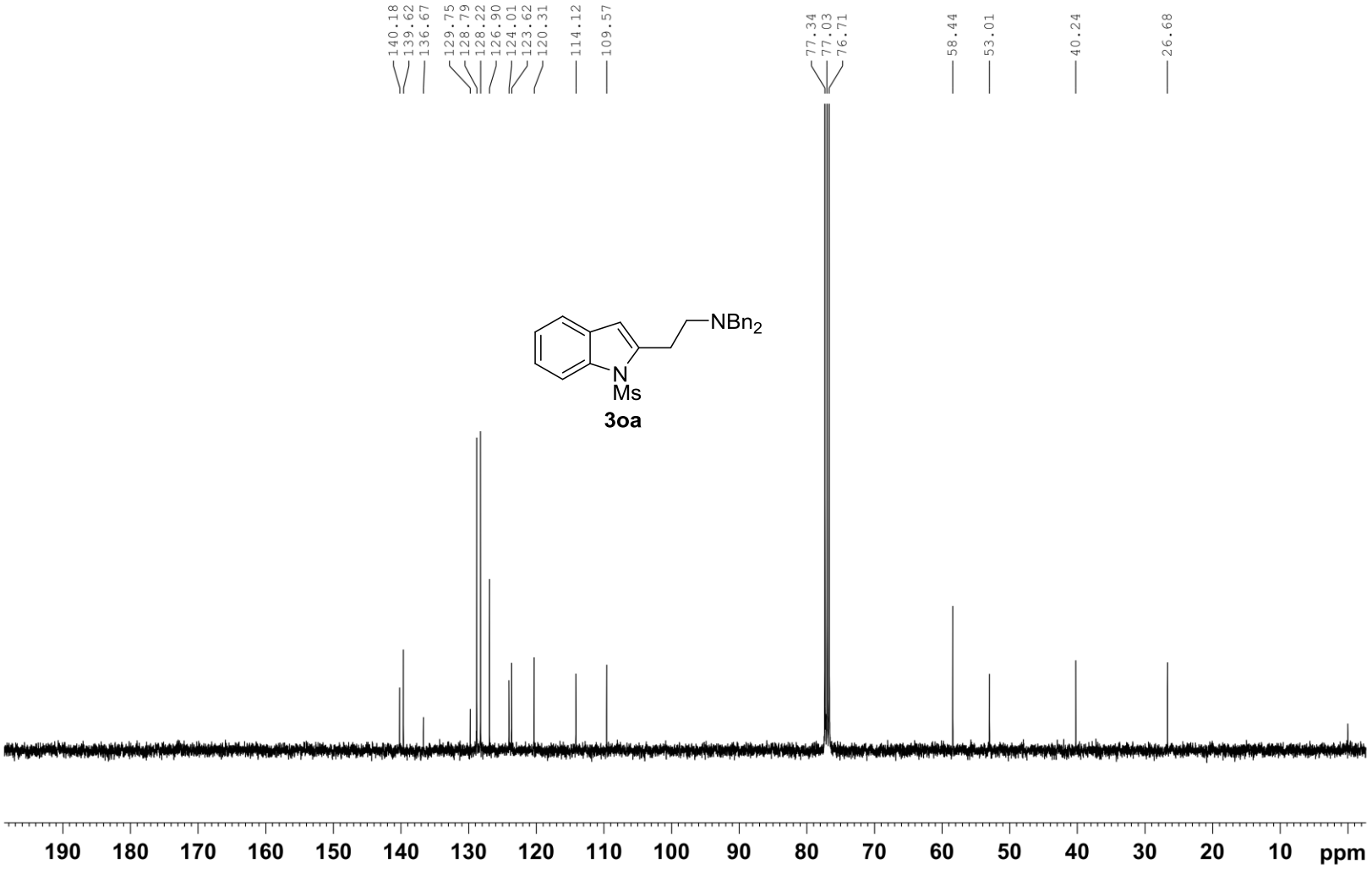

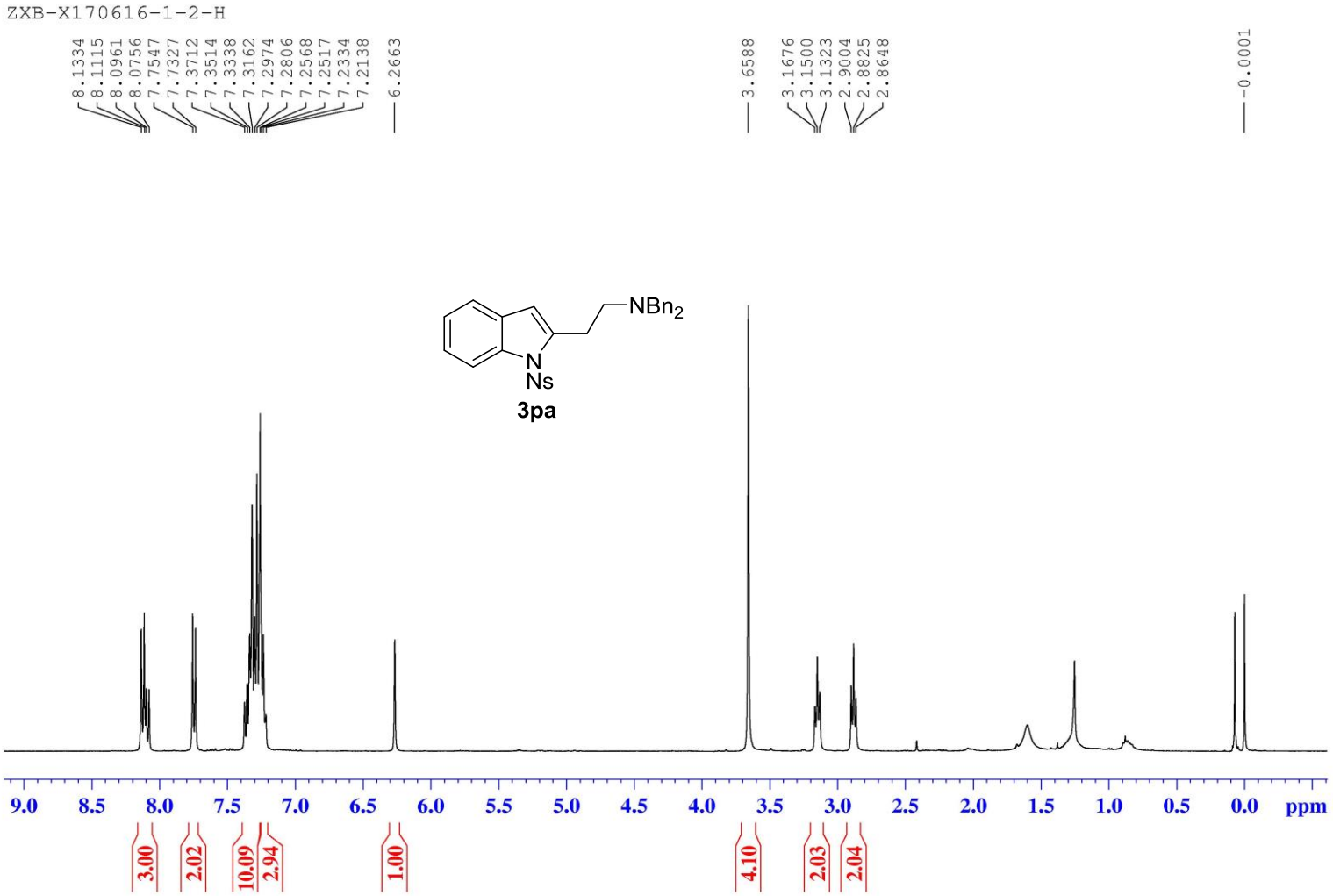
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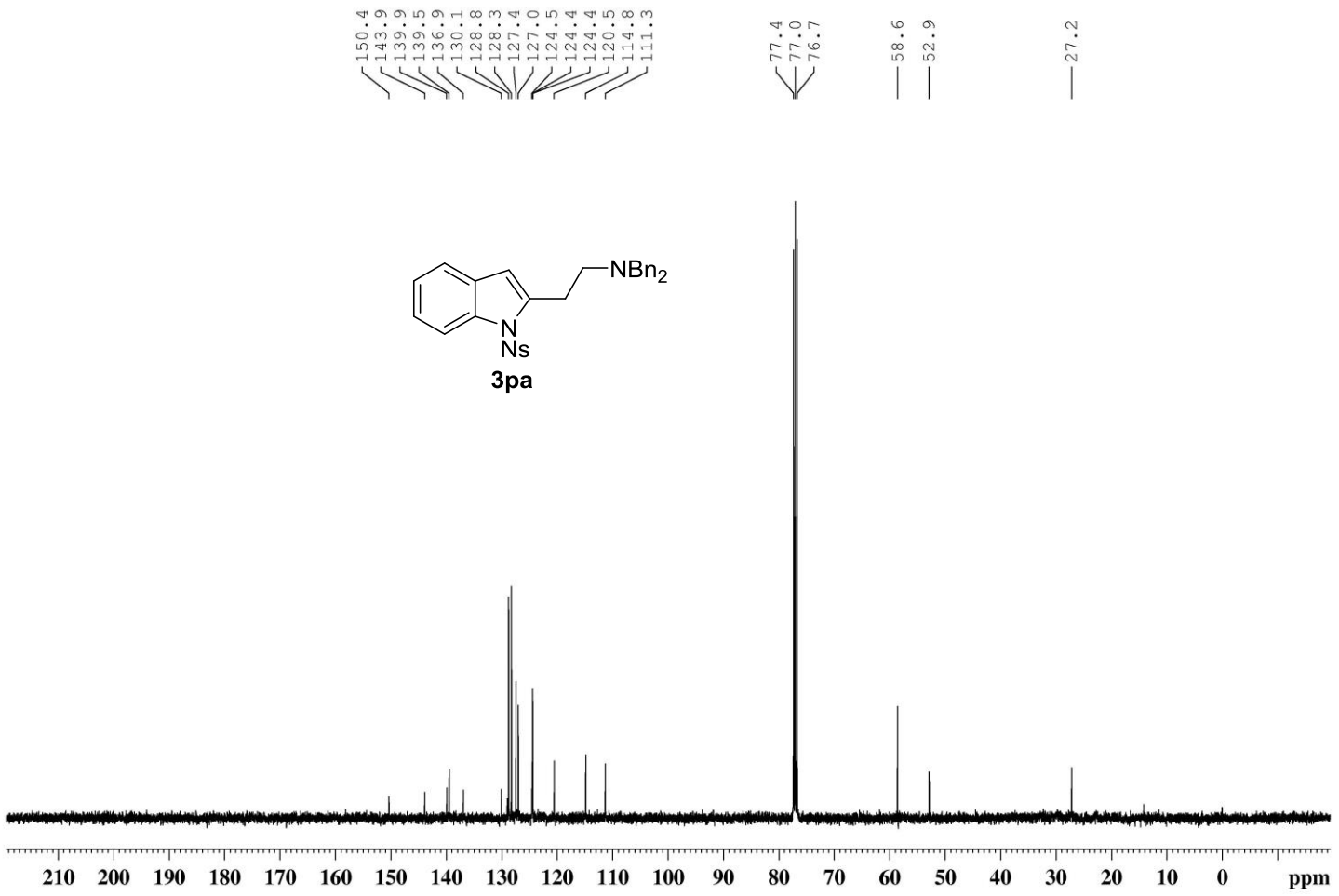

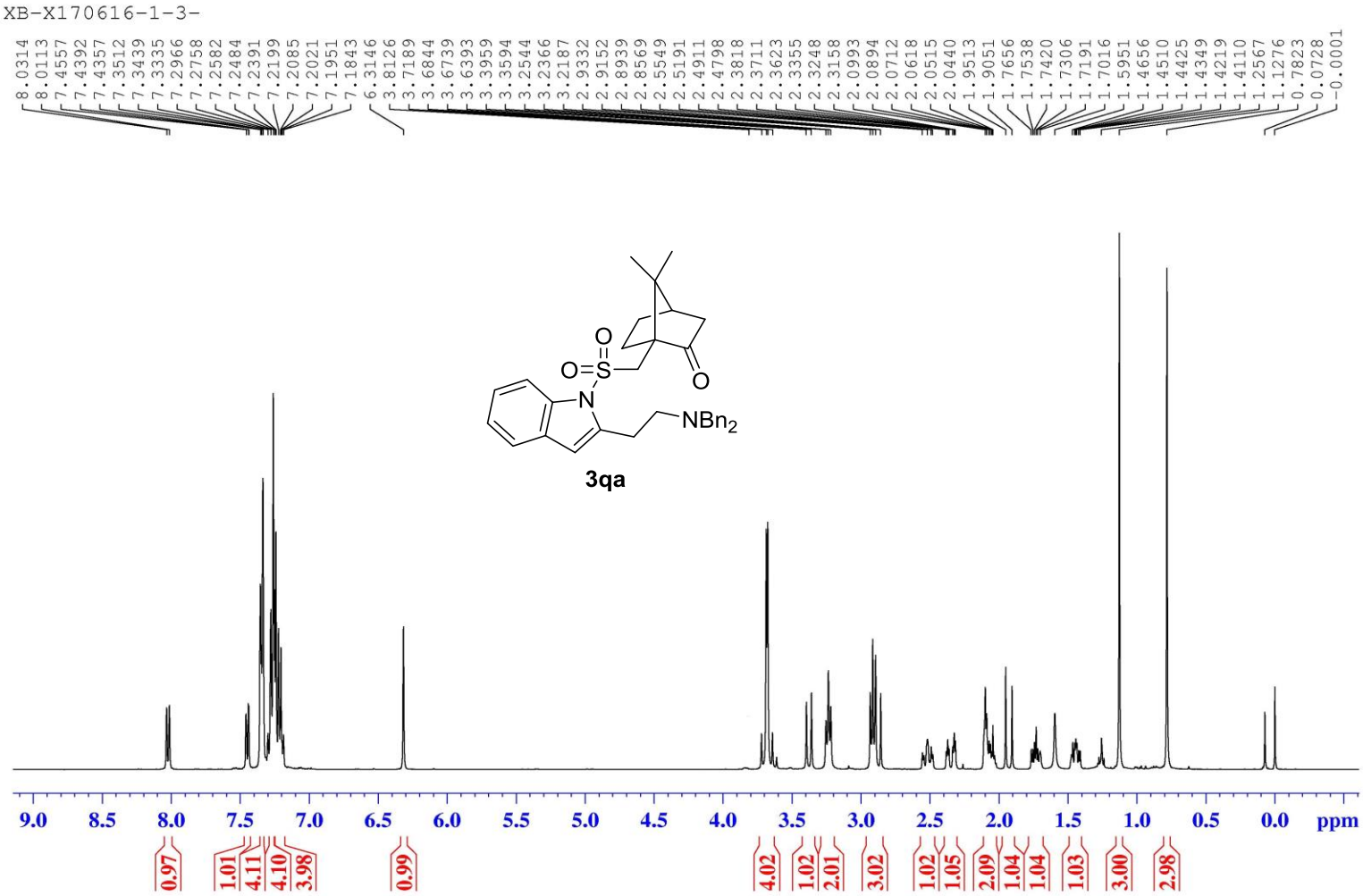
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1.04
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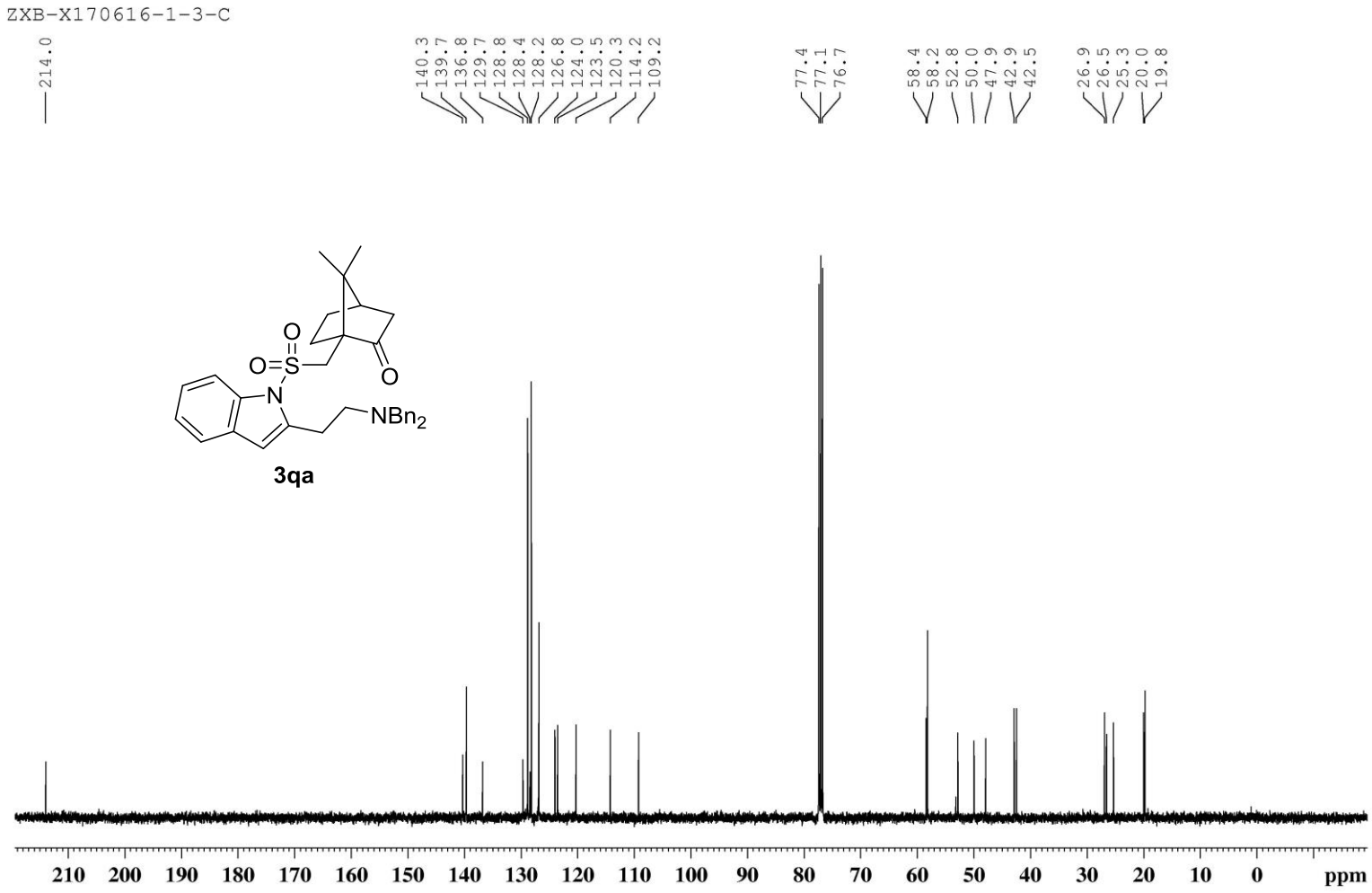
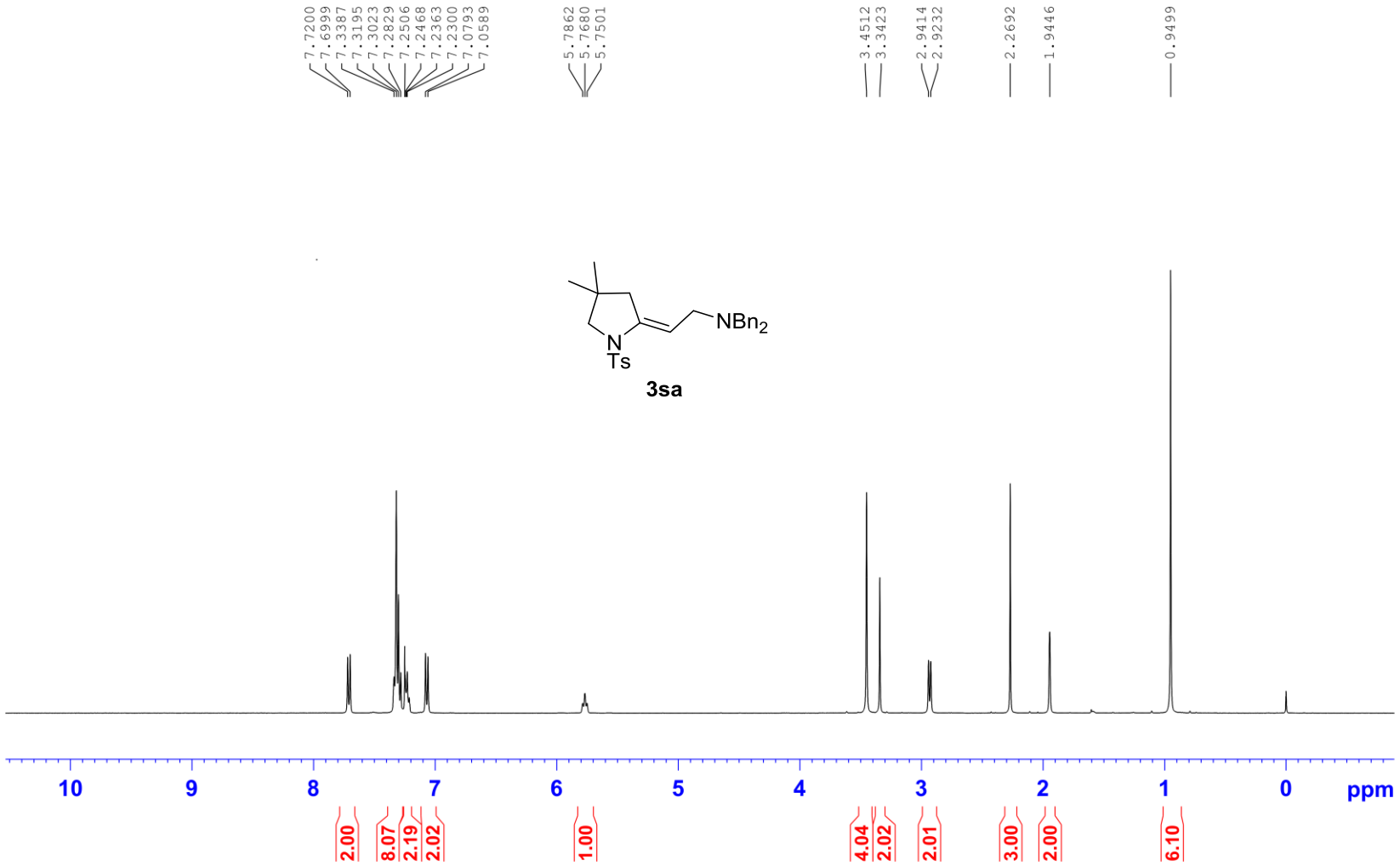
3.00
2.98


ZXB-X170616-1-3-C
214.0
140.3
139.7
136.8
129.7
128.8
128.4
128.2
126.8
124.0
123.5
120.3
114.2
109.2
77.4
77.1
76.7
58.4
58.2
52.8
50.0
47.9
42.9
42.5
26.9
26.5
25.3
20.0
19.8
O
O=S
N
O
NBn2
3qa
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 ppm

LLX-X170327-1-HNMR



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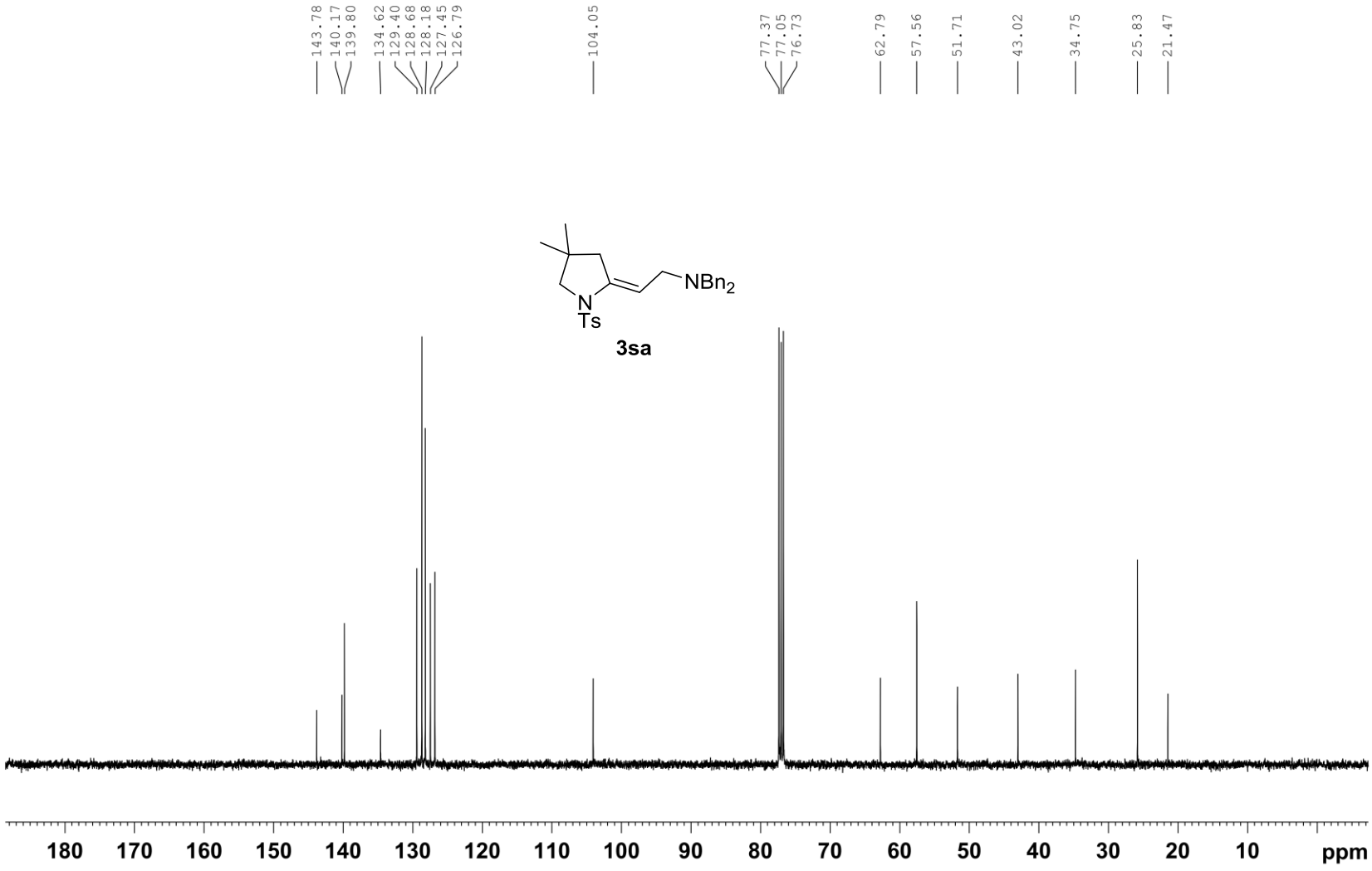
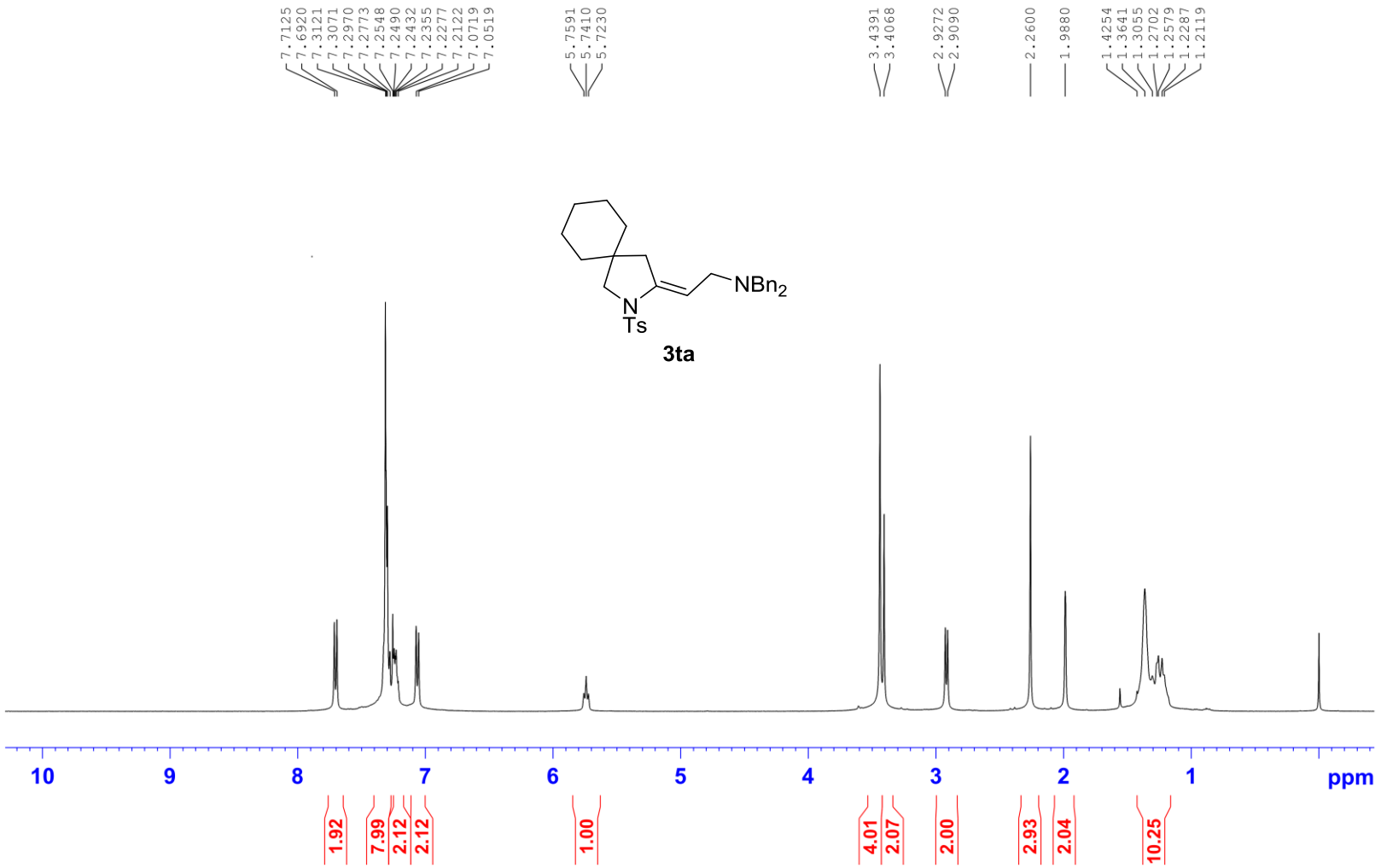


LLX-X170405-1-H



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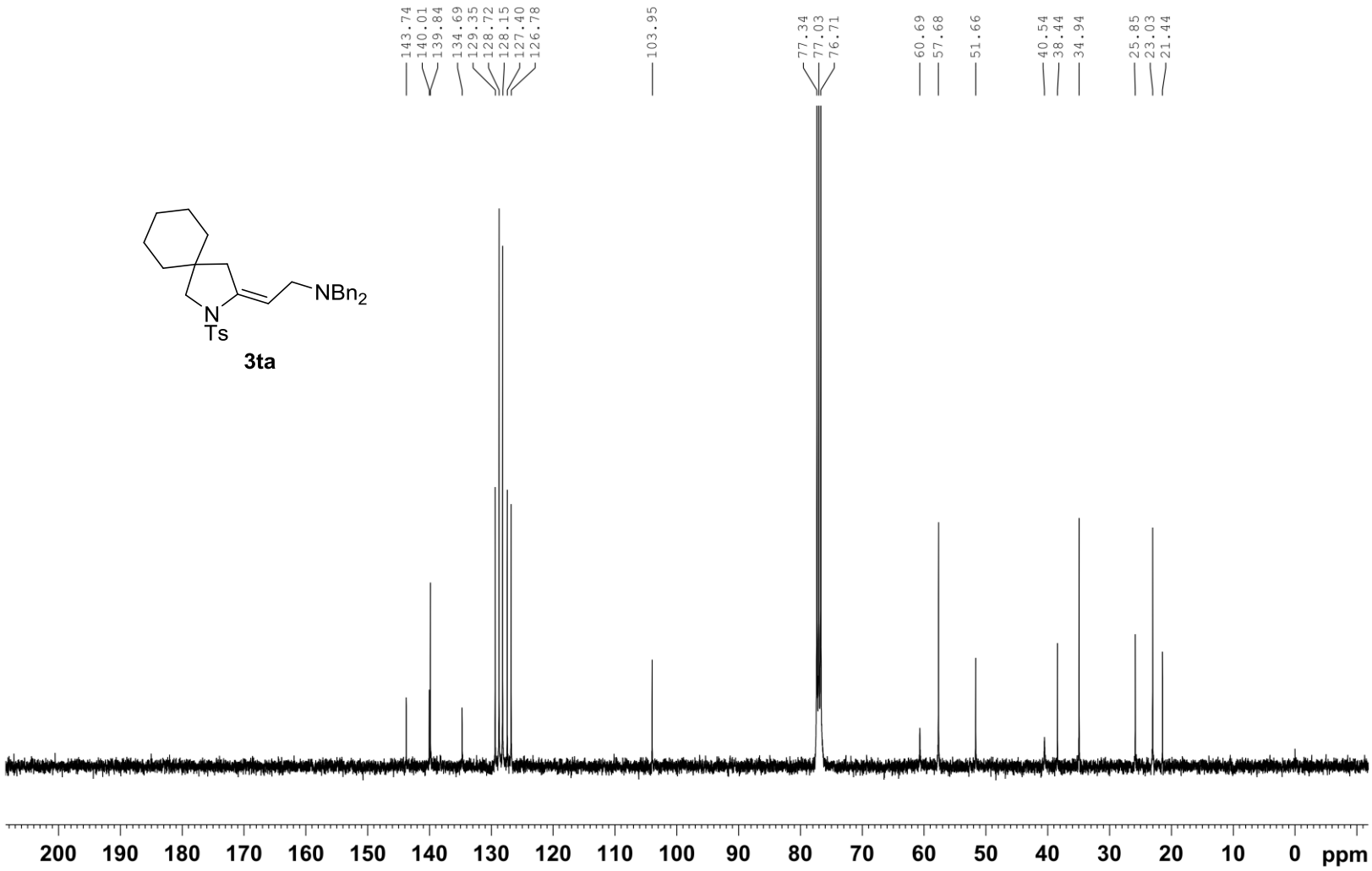

LLX-X170405-1-HNMR

7.4623
7.4417
7.3041
7.2996
7.2833
7.2808
7.2714
7.2665
7.2613
7.2510
7.2324
7.2280
7.2236
7.2117
7.1739
7.1696
7.1625
7.1578
7.1489
7.1446
7.0229
7.0028
6.9223
6.9155
6.9112
6.9028
6.8983
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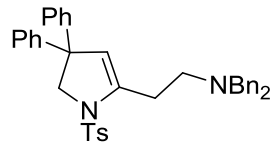
4.3289
3.5745
2.8197
2.8038
2.7947
2.7851
2.7668
2.3105



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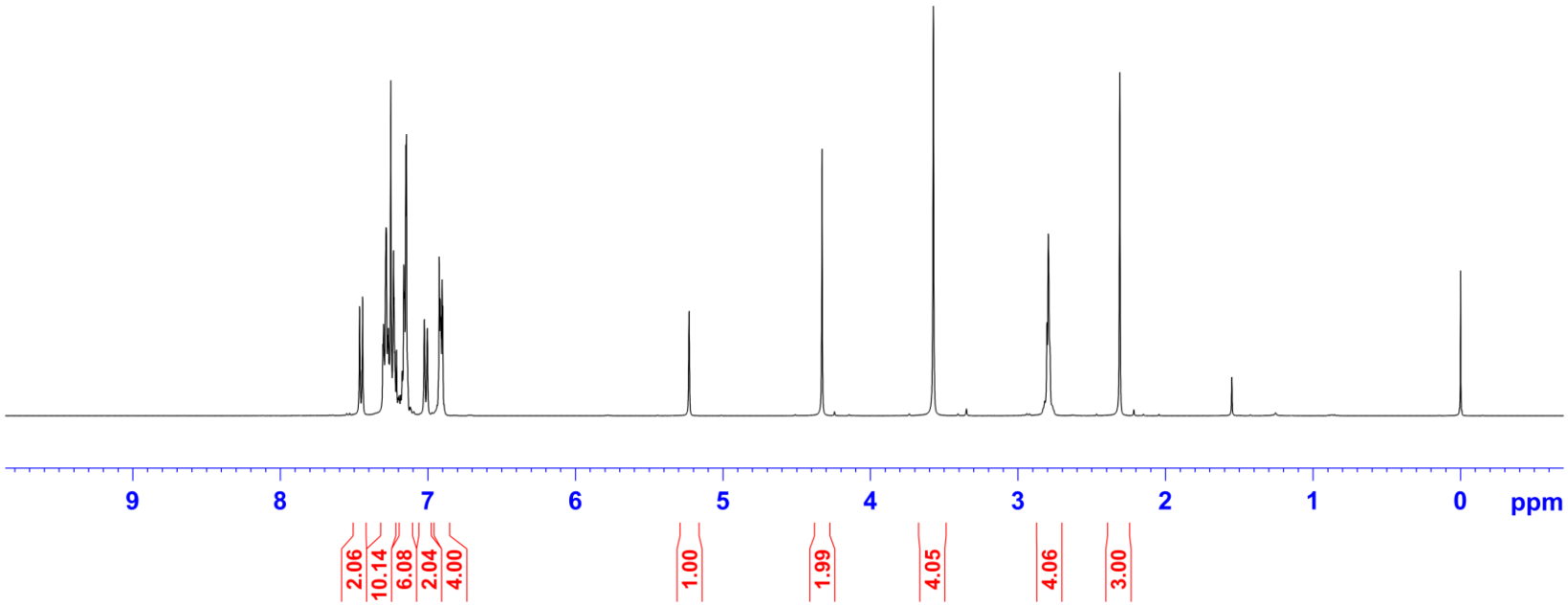

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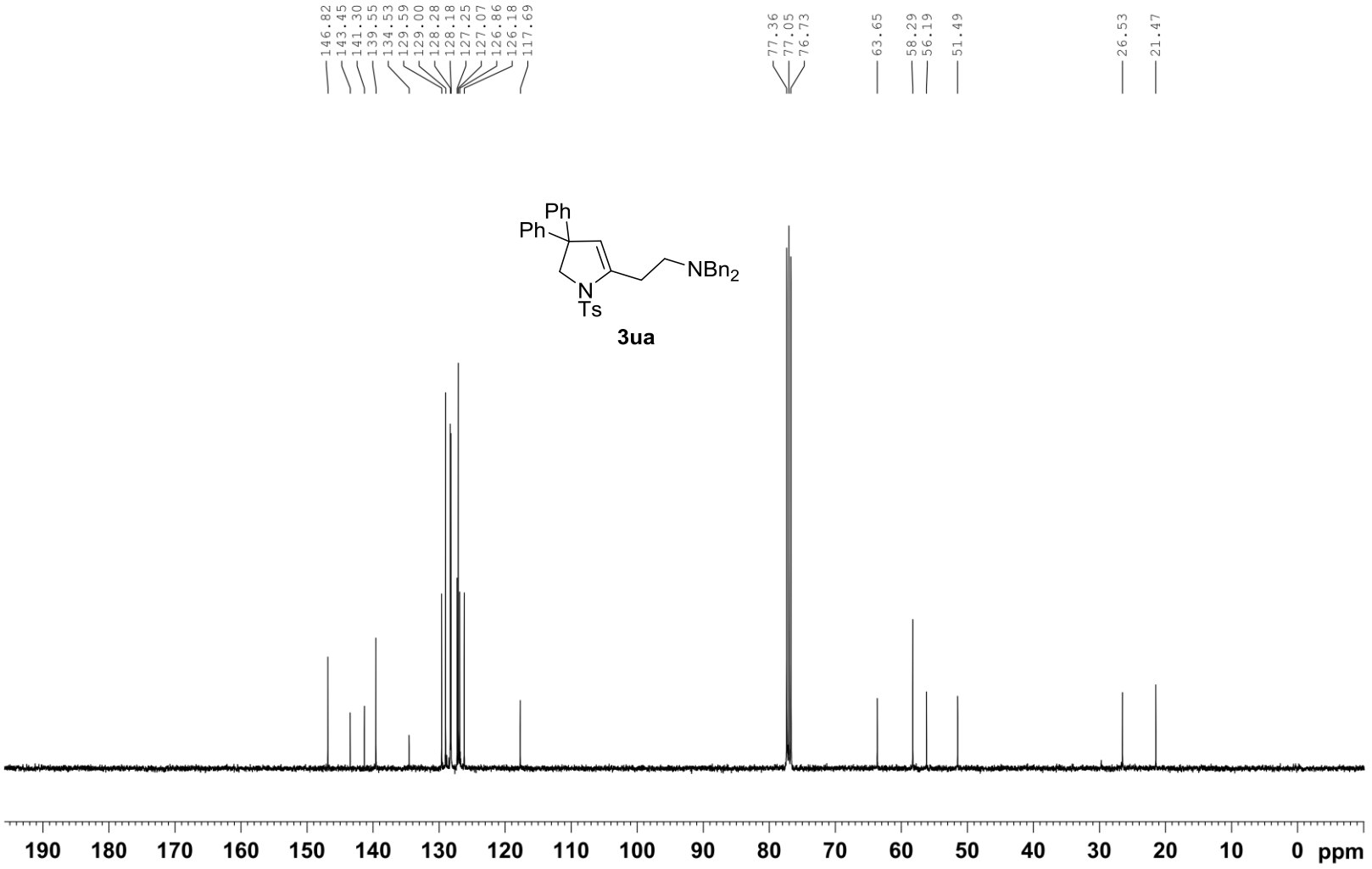
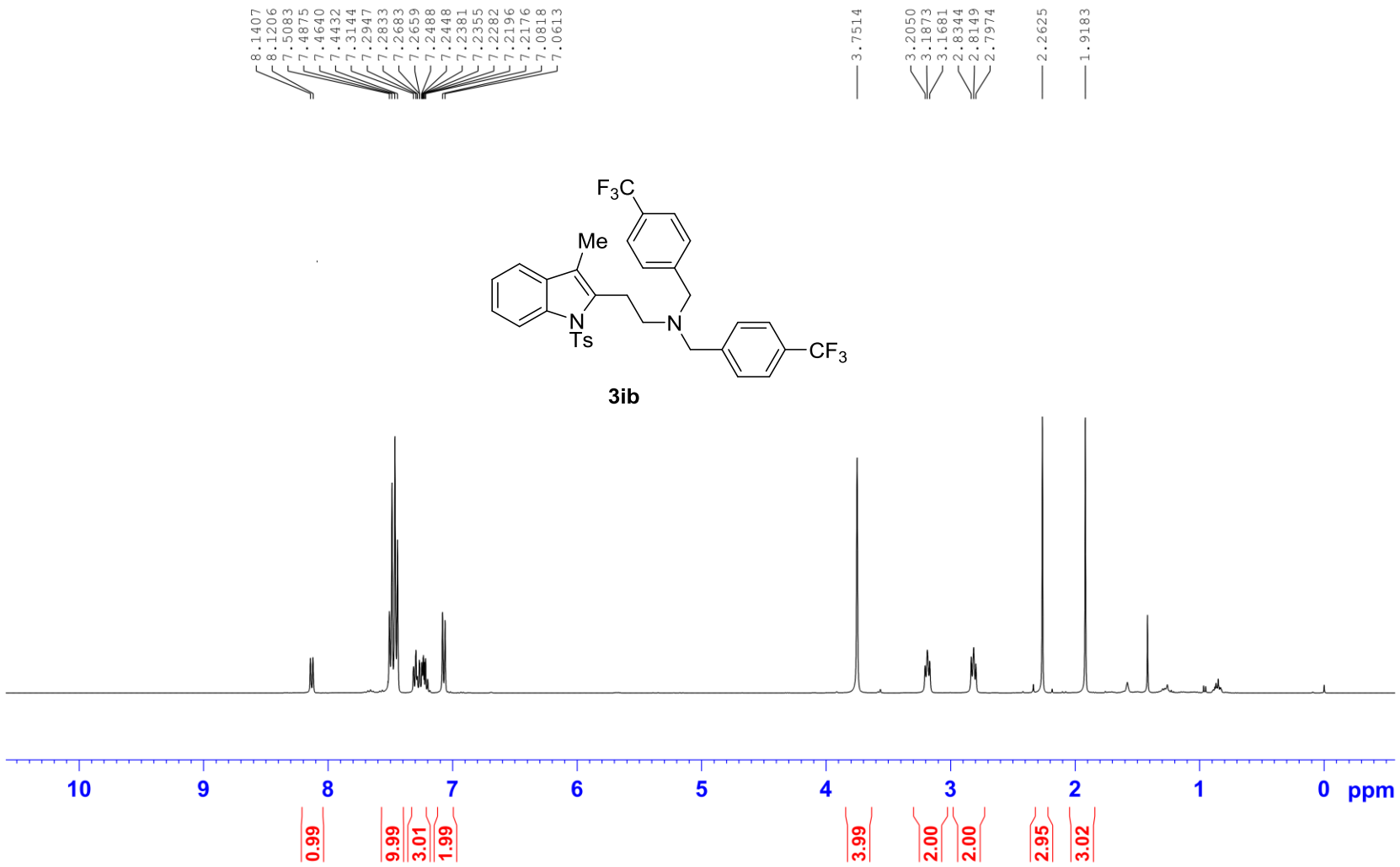
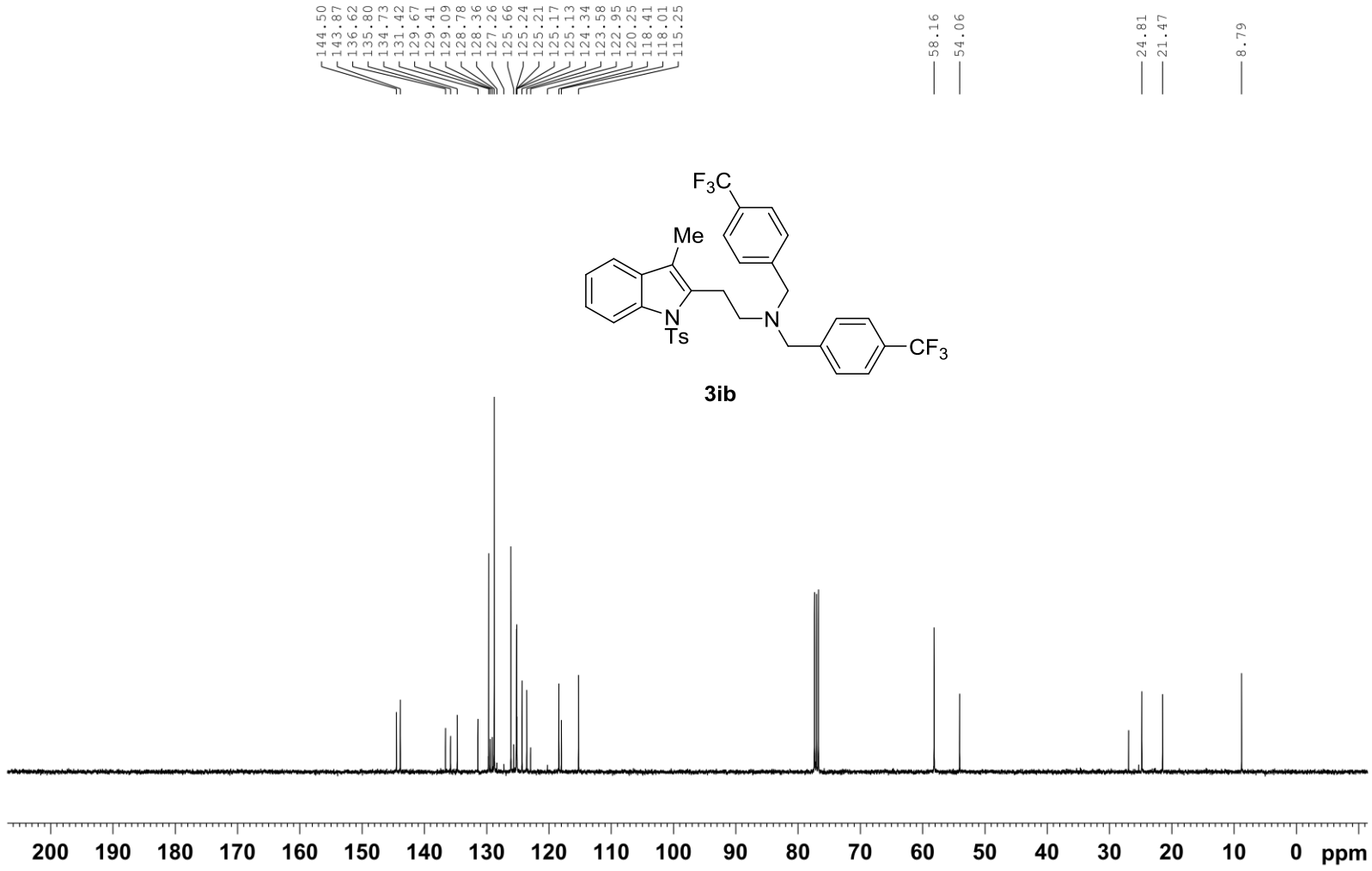


LLX-X160901-3-HNMR

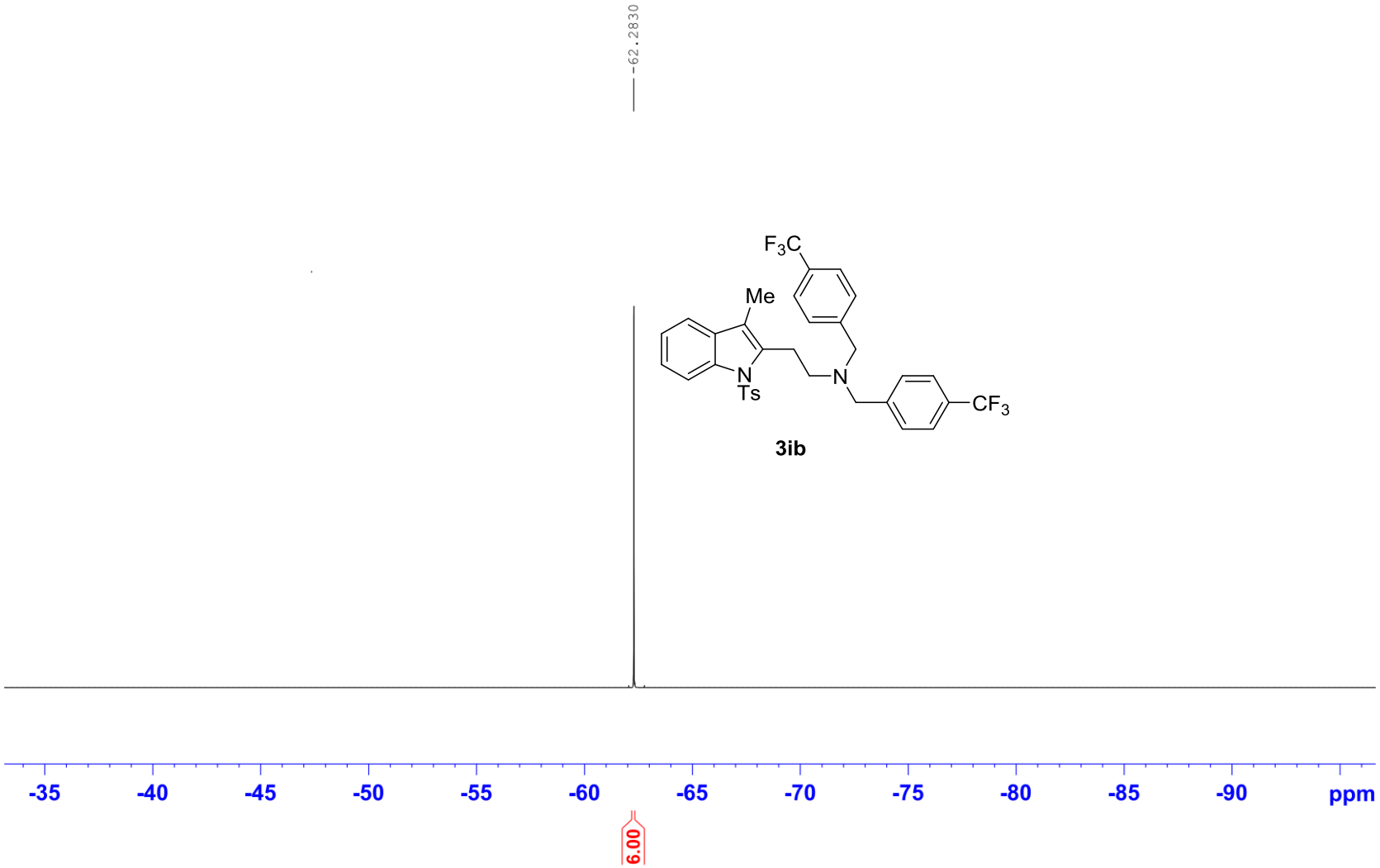


3ib

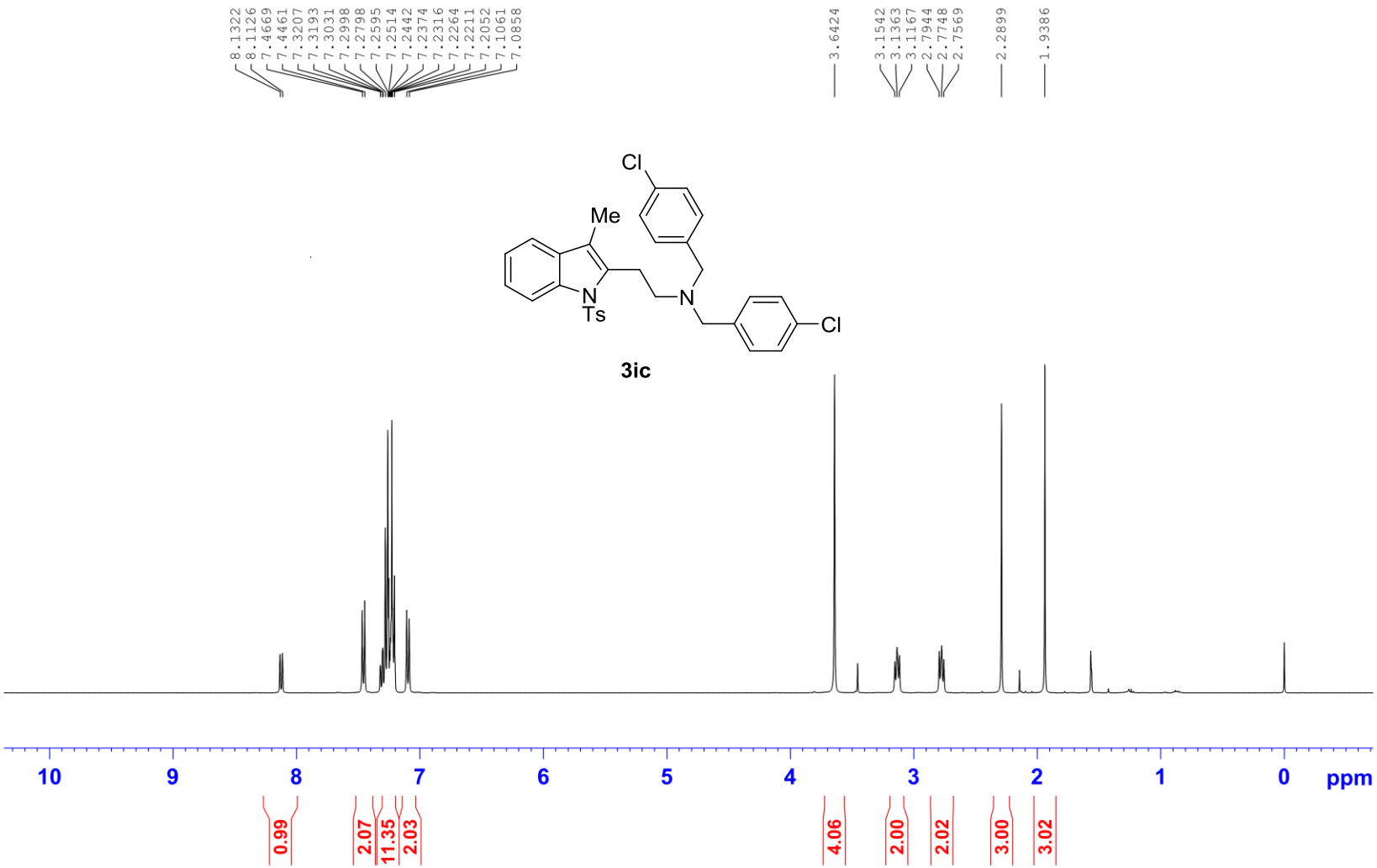
LLX-X160901-3-CNMR



LLX-X160901-3-FNMR



LLX-X160831-2-HNMR



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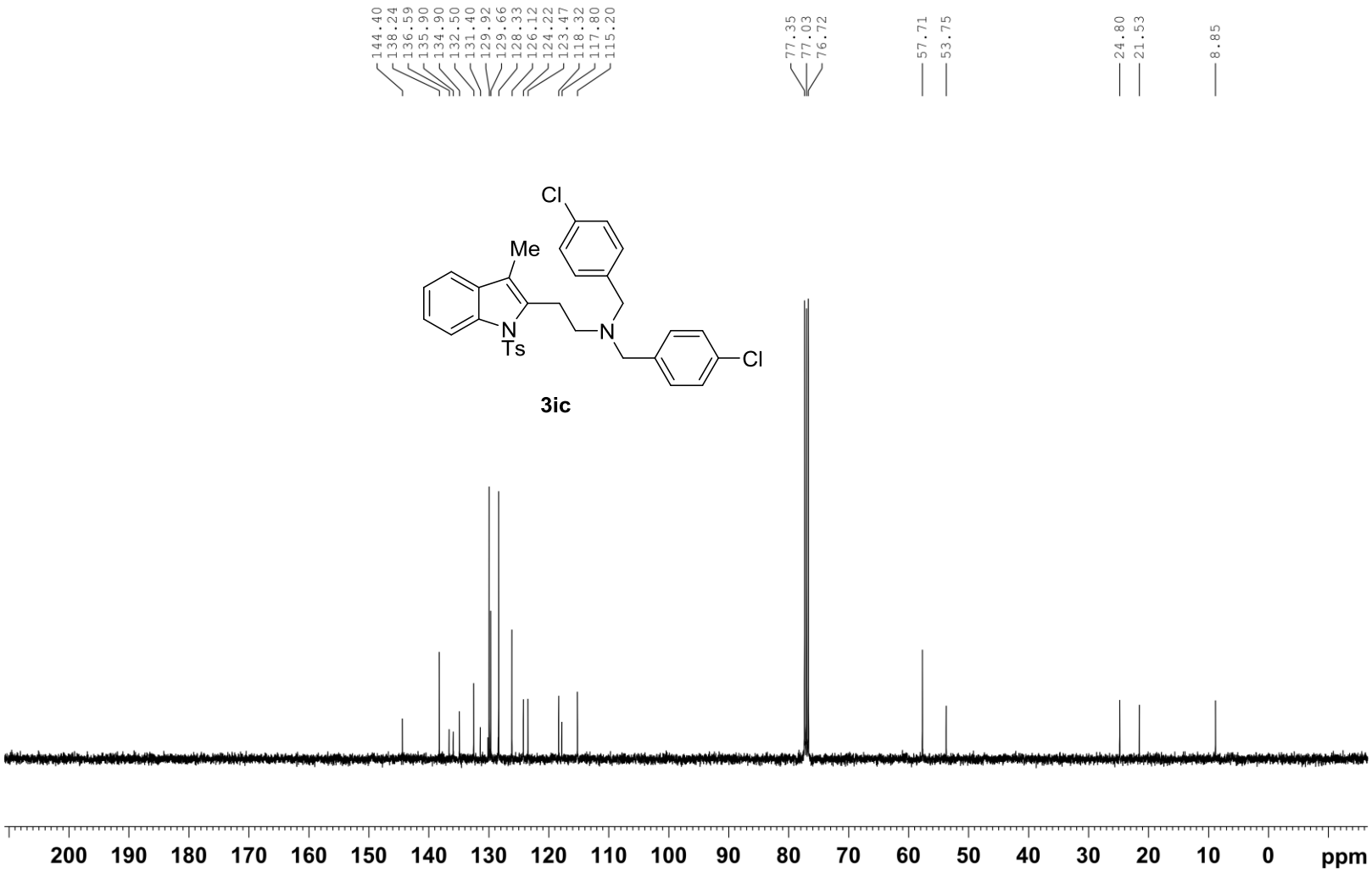

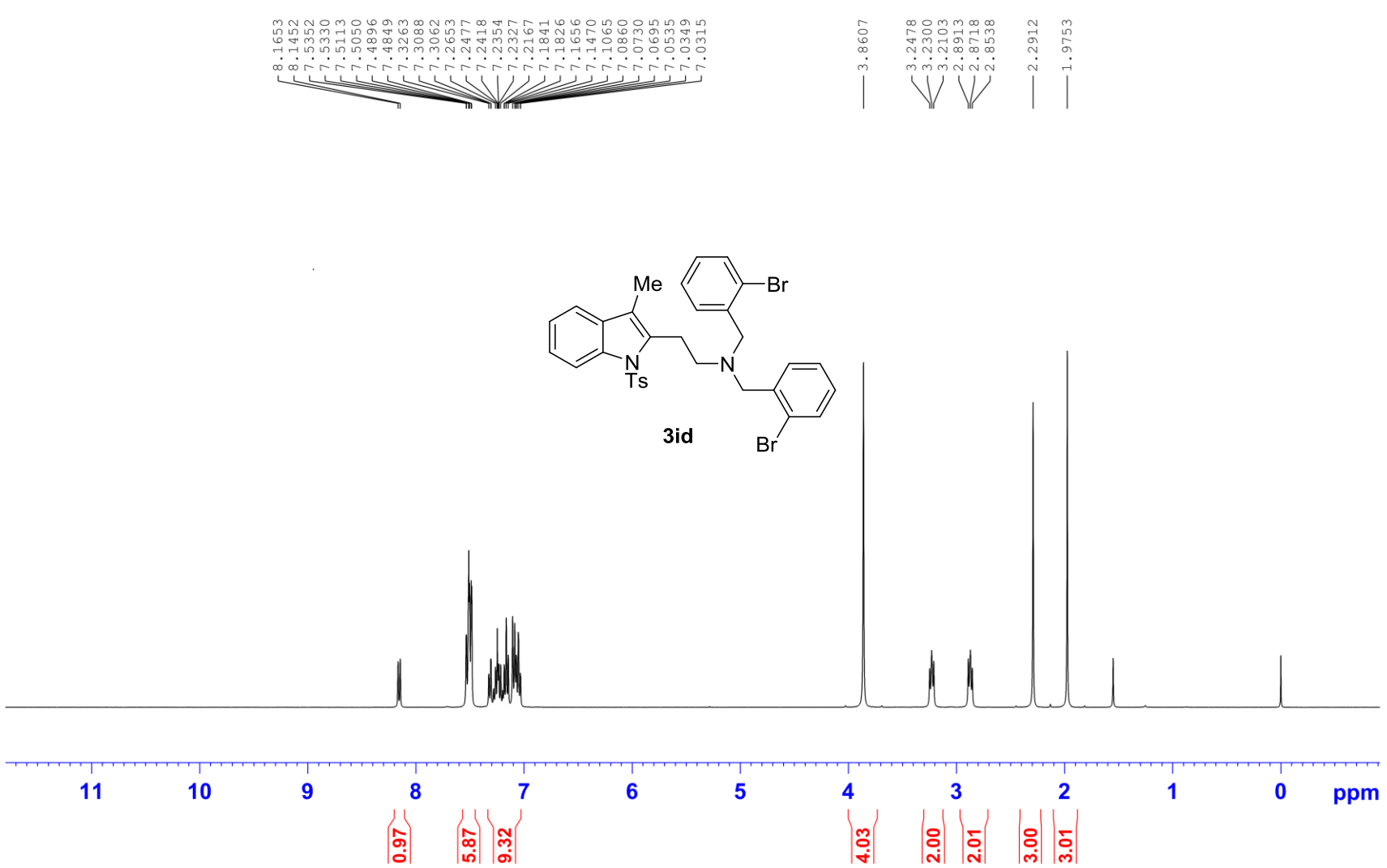
ΓON CDCl3 {E:\data} ROC
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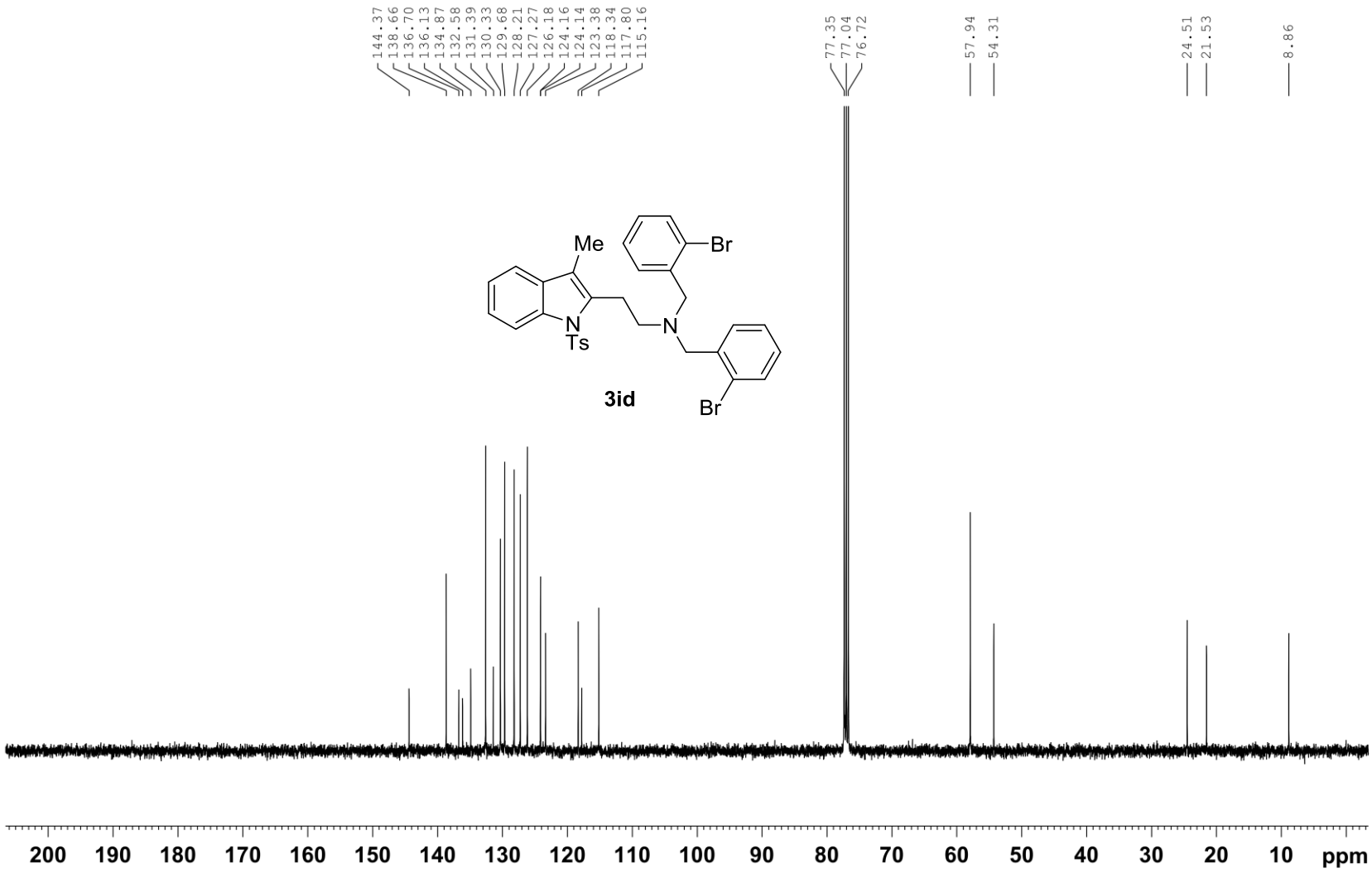
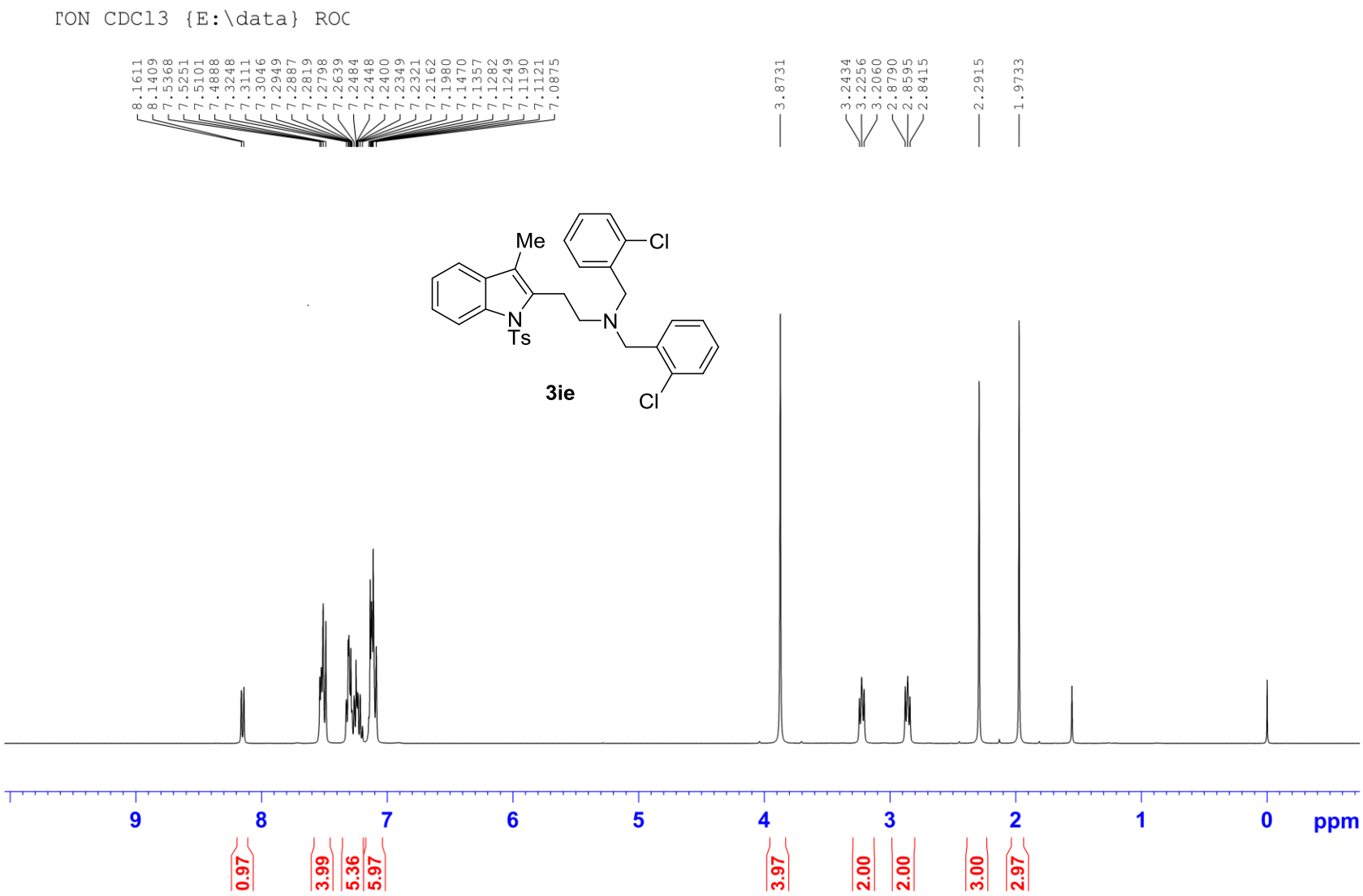
8.1452
7.5352
7.5330
7.5113
7.5050
7.4896
7.4849
7.3263
7.3088
7.3062
7.2653
7.2477
7.2418
7.2354
7.2327
7.2167
7.1841
7.1826
7.1656
7.1470
7.1065
7.0860
7.0730
7.0695
7.0535
7.0349
7.0315
3.8607
3.2478
3.2300
3.2103
2.8913
2.8718
2.8538
2.2912
1.9753
Me
Br
N
Ts
N
Br
3id
11
10
9
8
7
6
5
4
3
2
1
0
ppm
0.97
5.87
9.32
4.03
2.00
2.01
3.00
3.01

C13CPD CDCl3 {E:\data} ROOT 7




ΓON CDCl3 {E:\data} ROC
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8.1409
7.5368
7.5251
7.5101
7.4888
7.3248
7.3111
7.3046
7.2949
7.2887
7.2819
7.2798
7.2639
7.2484
7.2448
7.2400
7.2349
7.2321
7.2162
7.1980
7.1470
7.1357
7.1282
7.1249
7.1190
7.1121
7.0875
3.8731
3.2434
3.2256
3.2060
2.8790
2.8595
2.8415
2.2915
1.9733
Me
Cl
N
Ts
N
Cl
3ie
9
8
7
6
5
4
3
2
1
0
ppm
0.97
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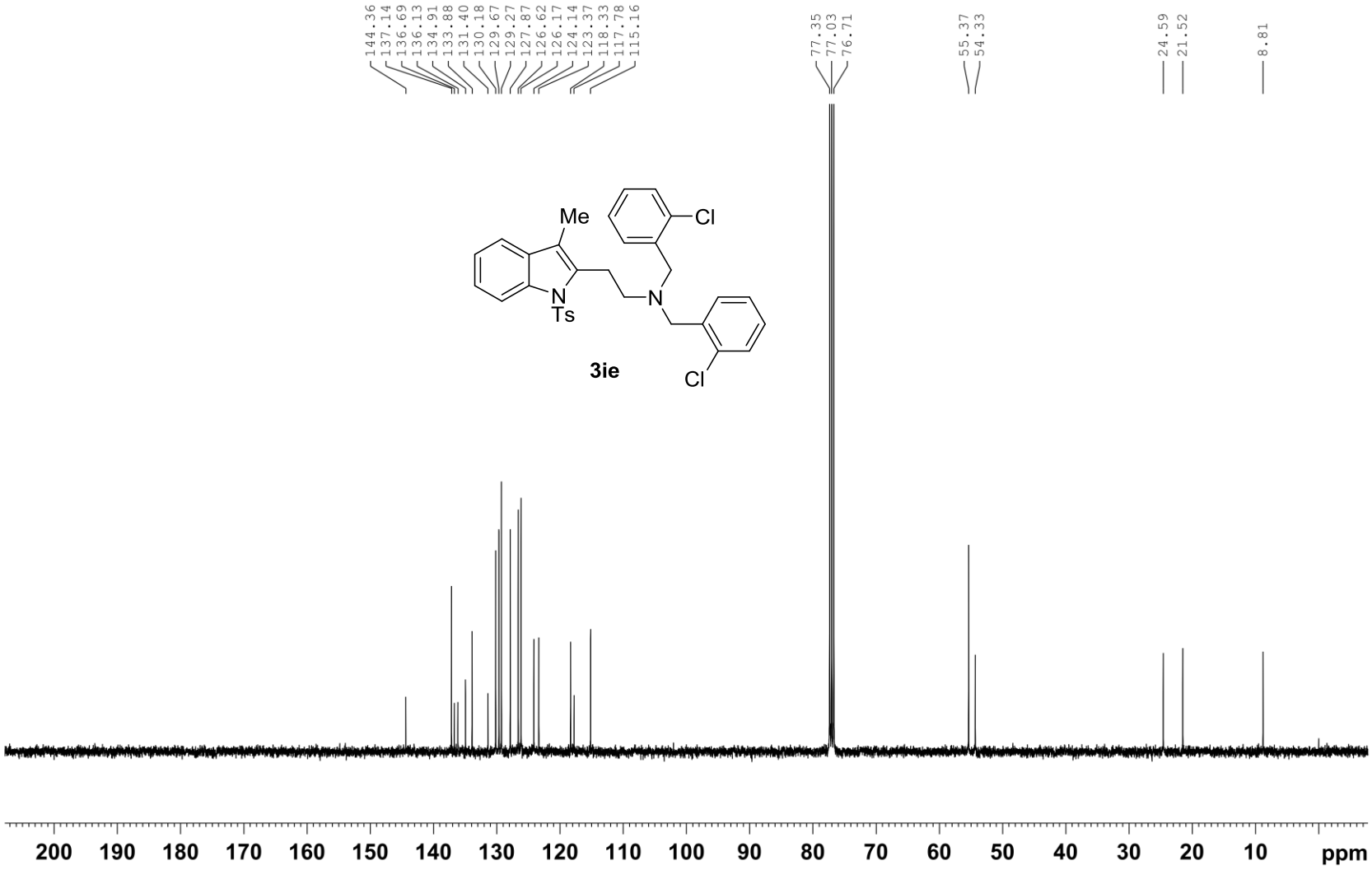
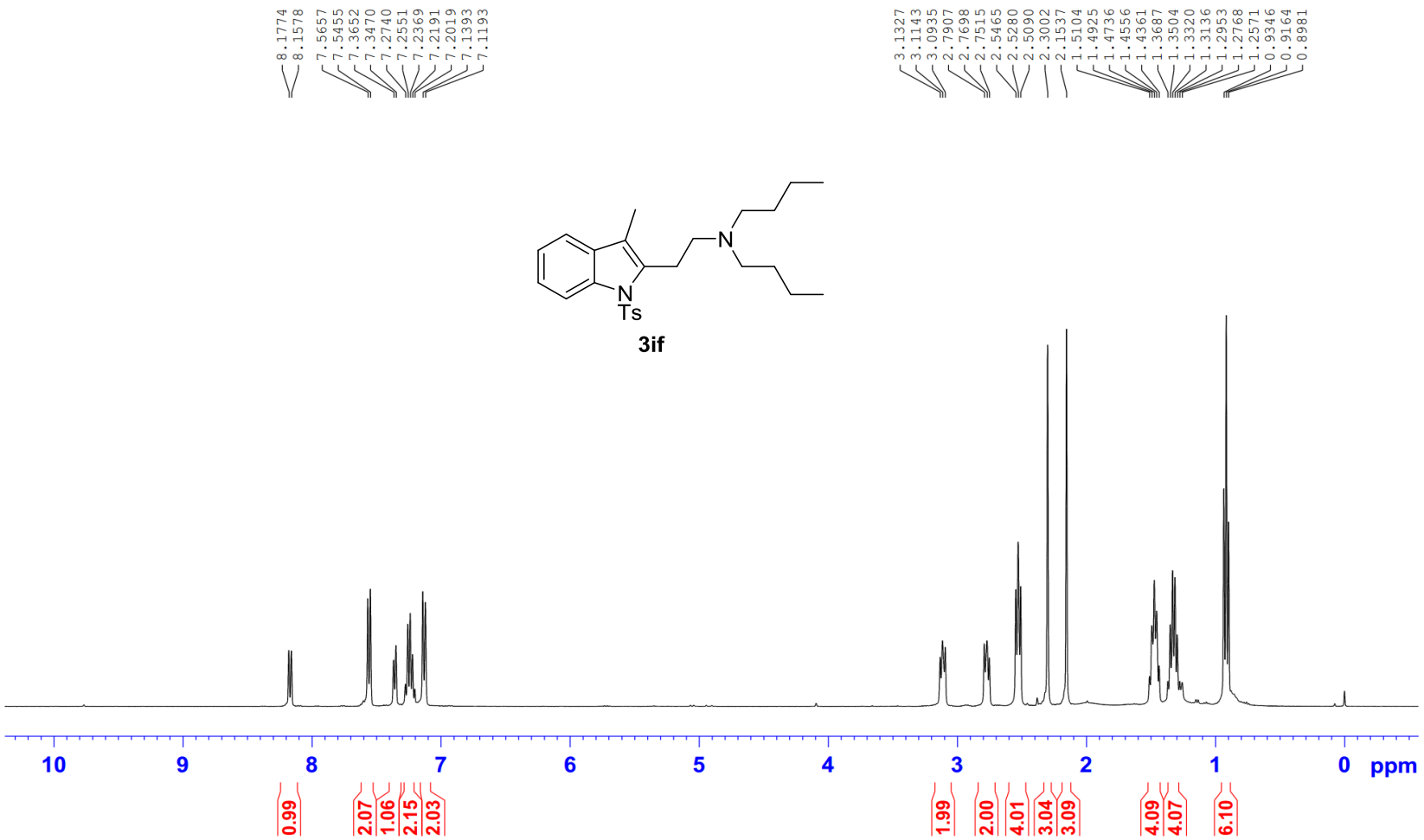
5.97
3.97
2.00
2.00
3.00
2.97

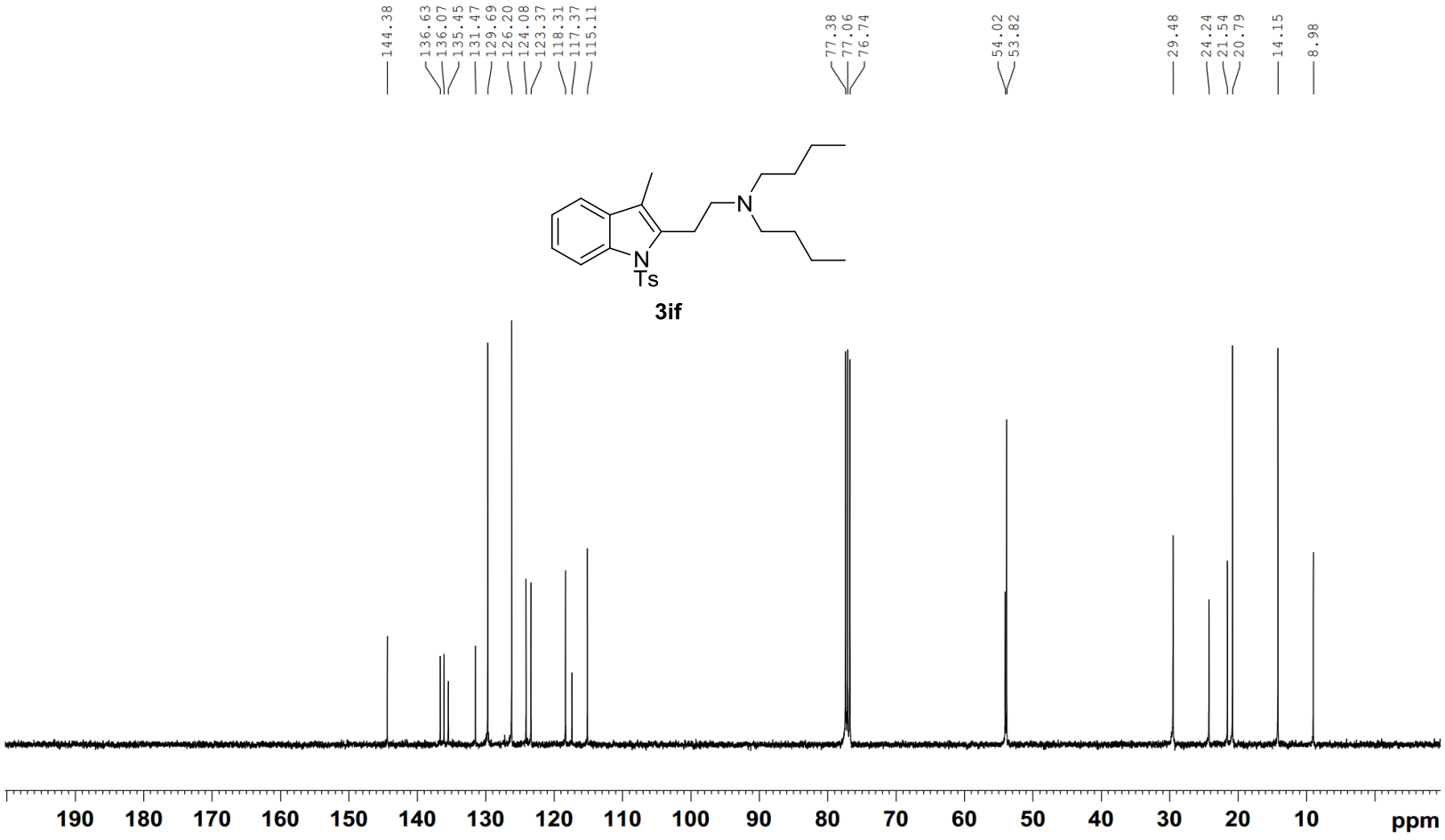
C13CPD CDCl3 {E:\data} ROOT 8



LLX-X170602-1-H



LLX-X170602-1-C



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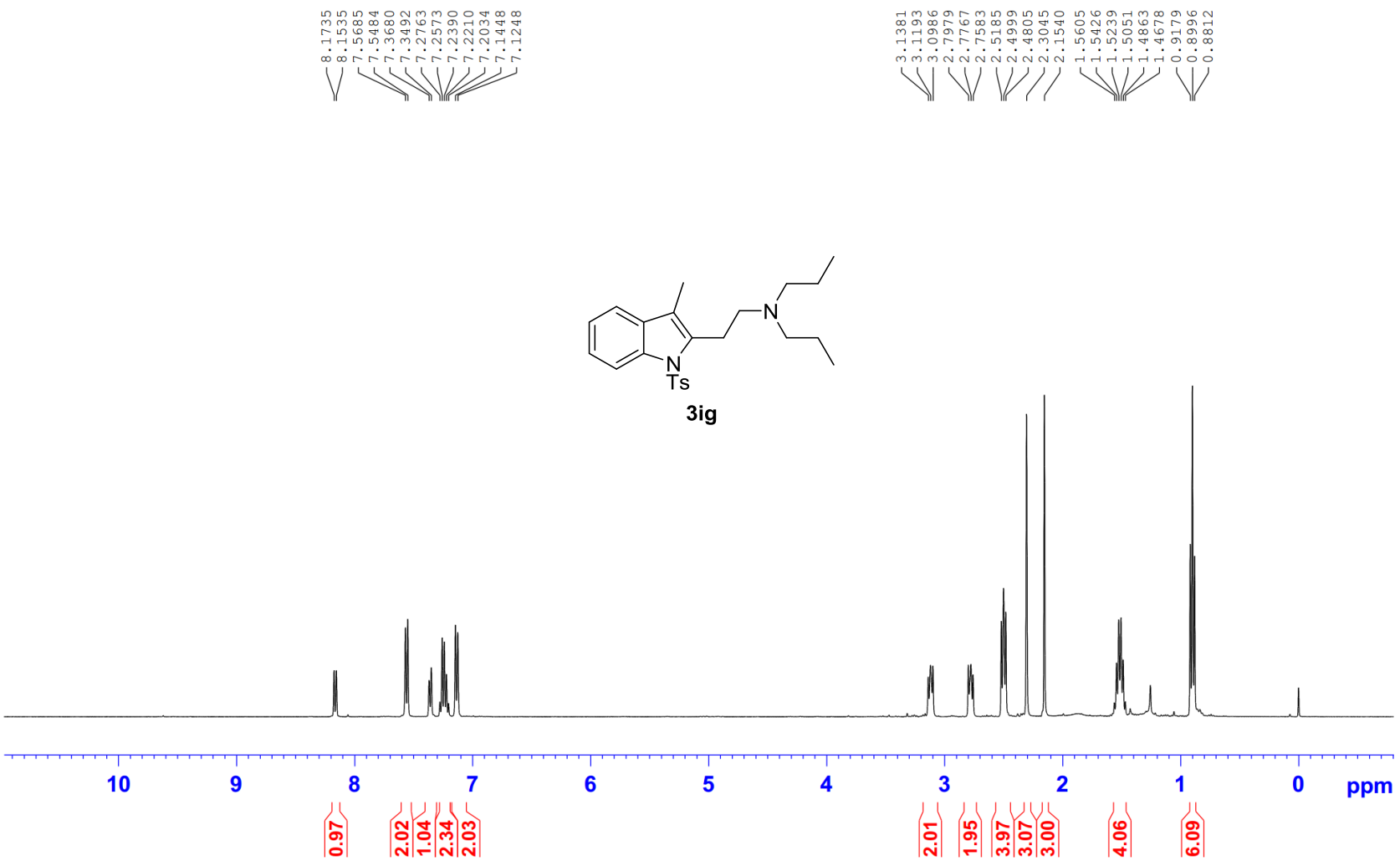

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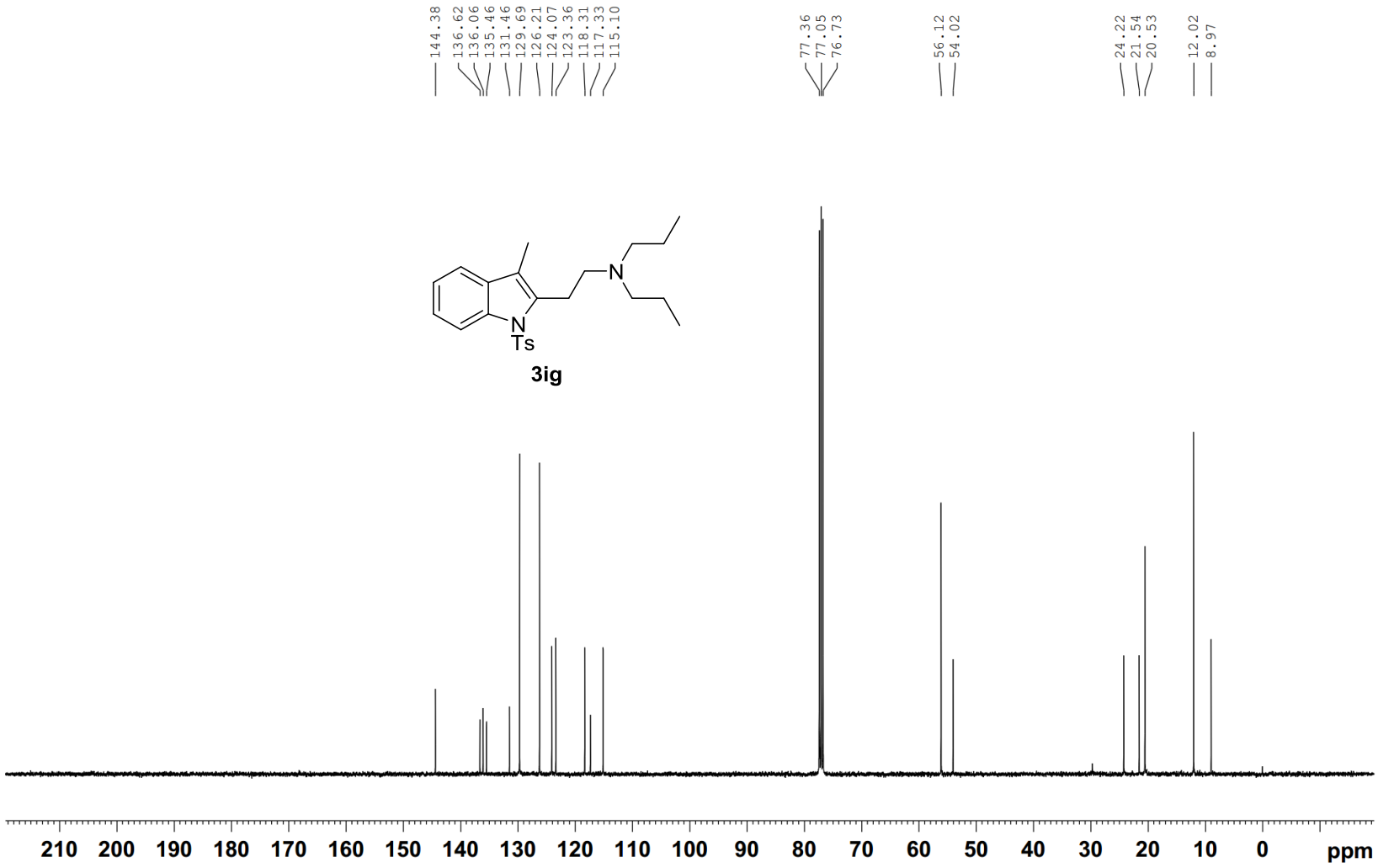
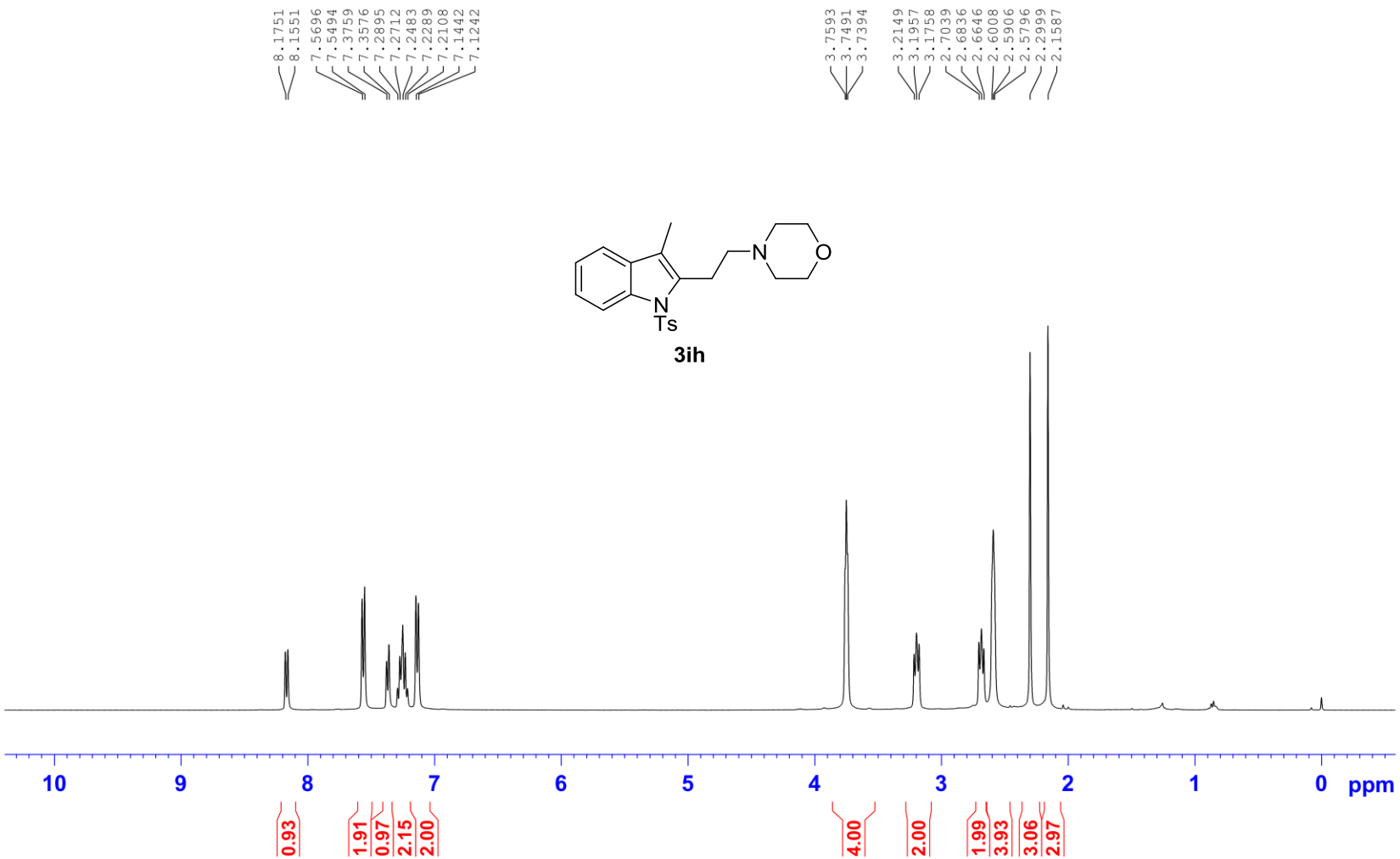


LLX-X170518-1-H



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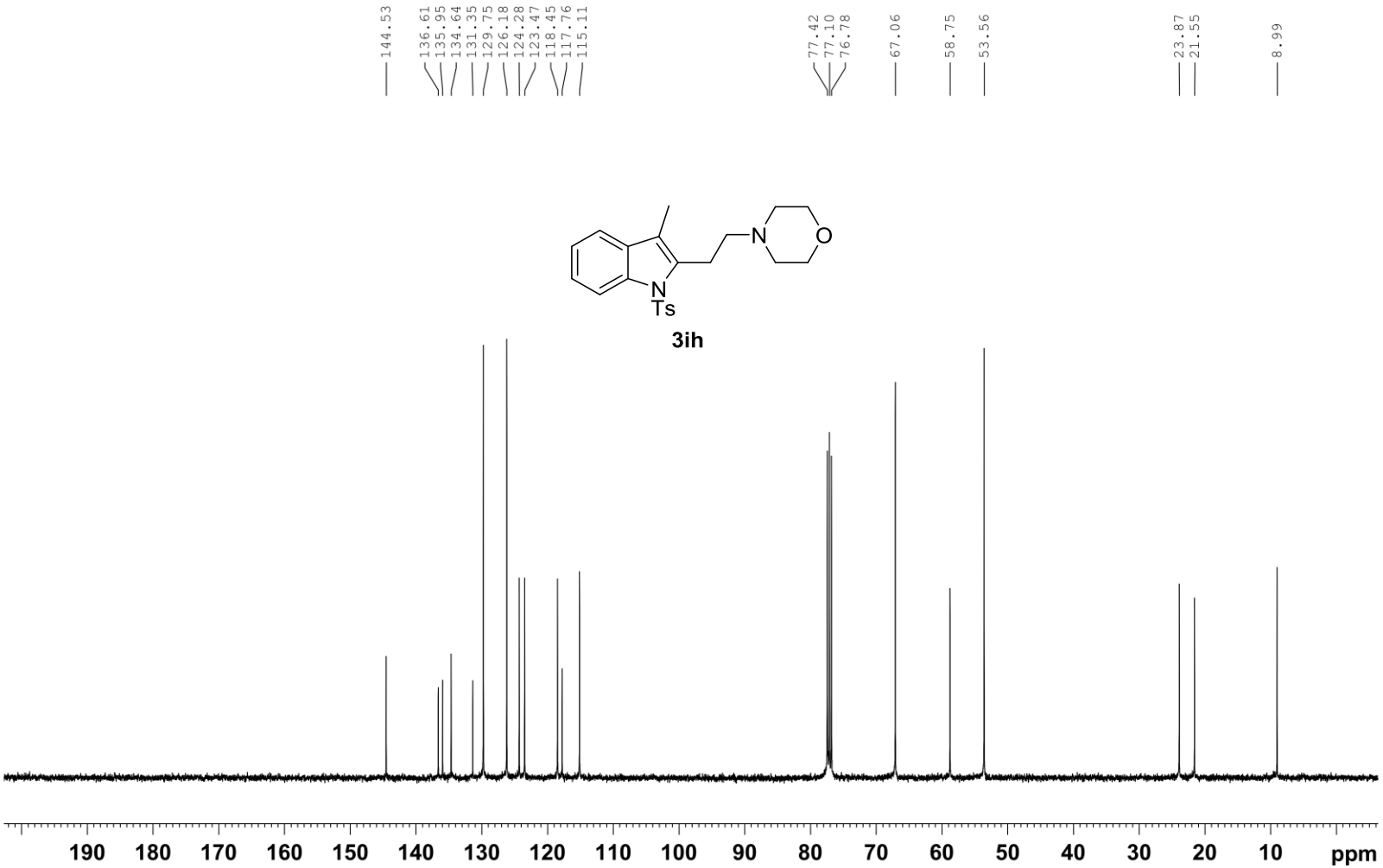
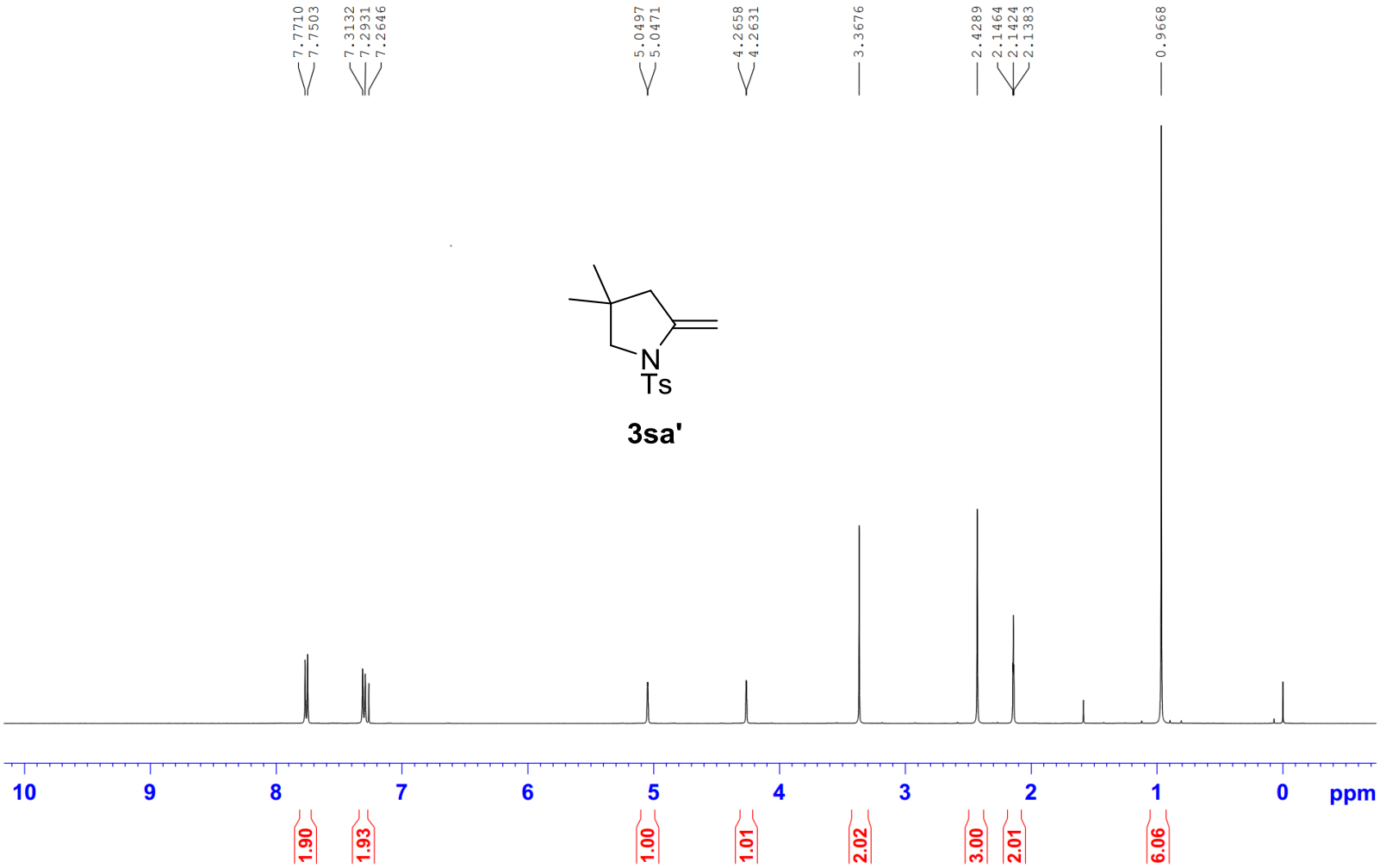
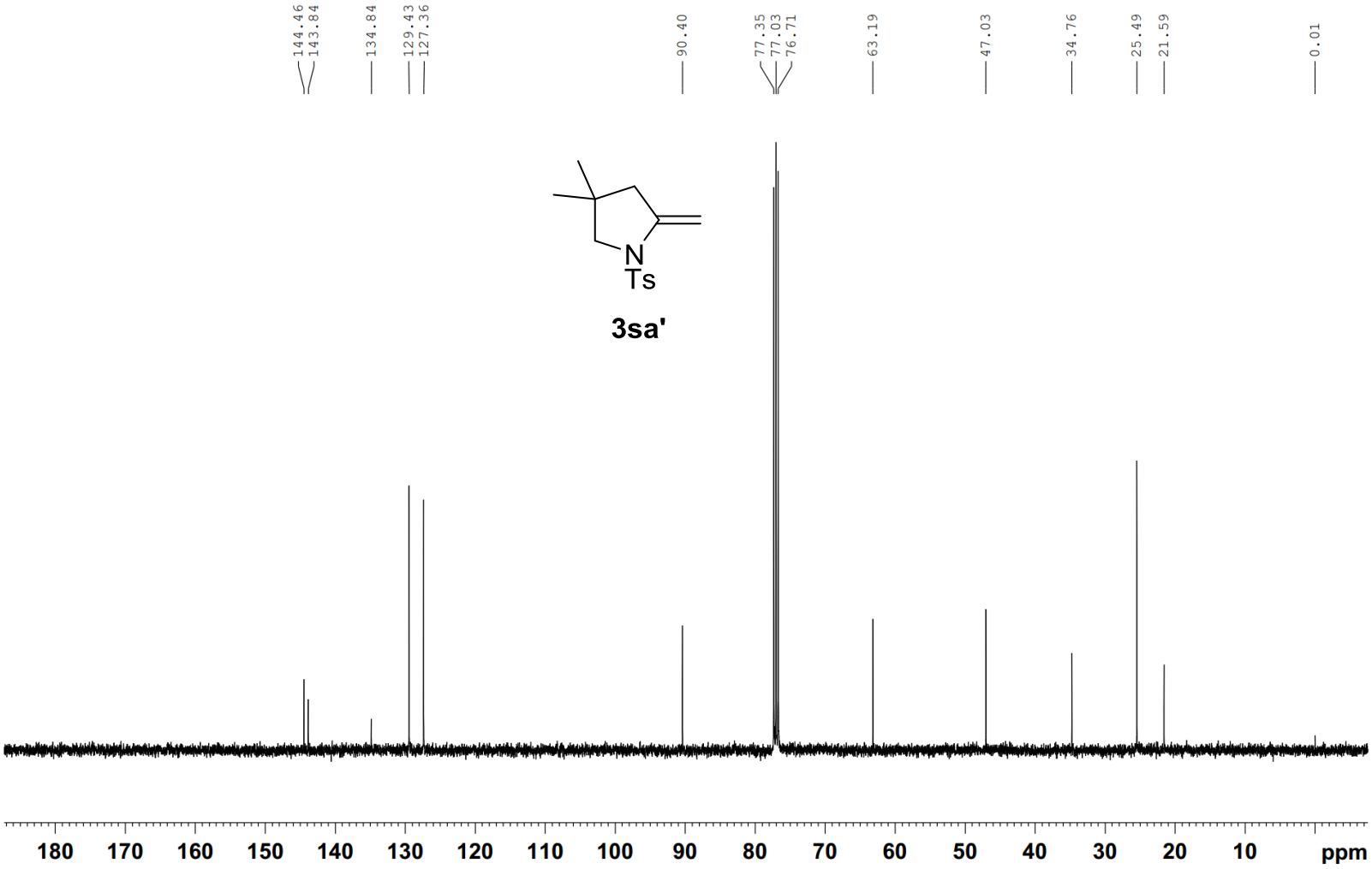


LLX-X170410-1-HNMR



LLX-X170410-1-CNMR



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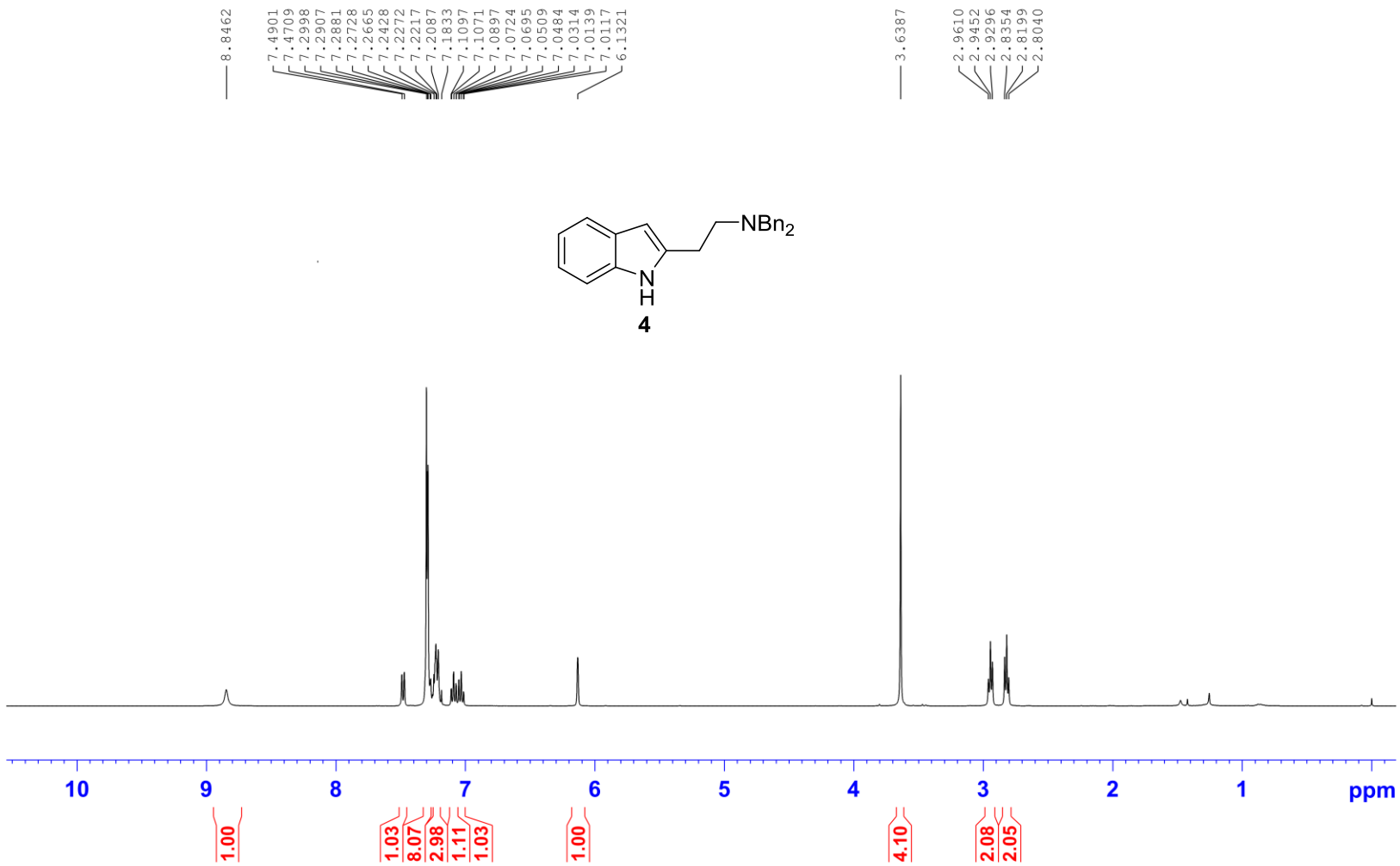
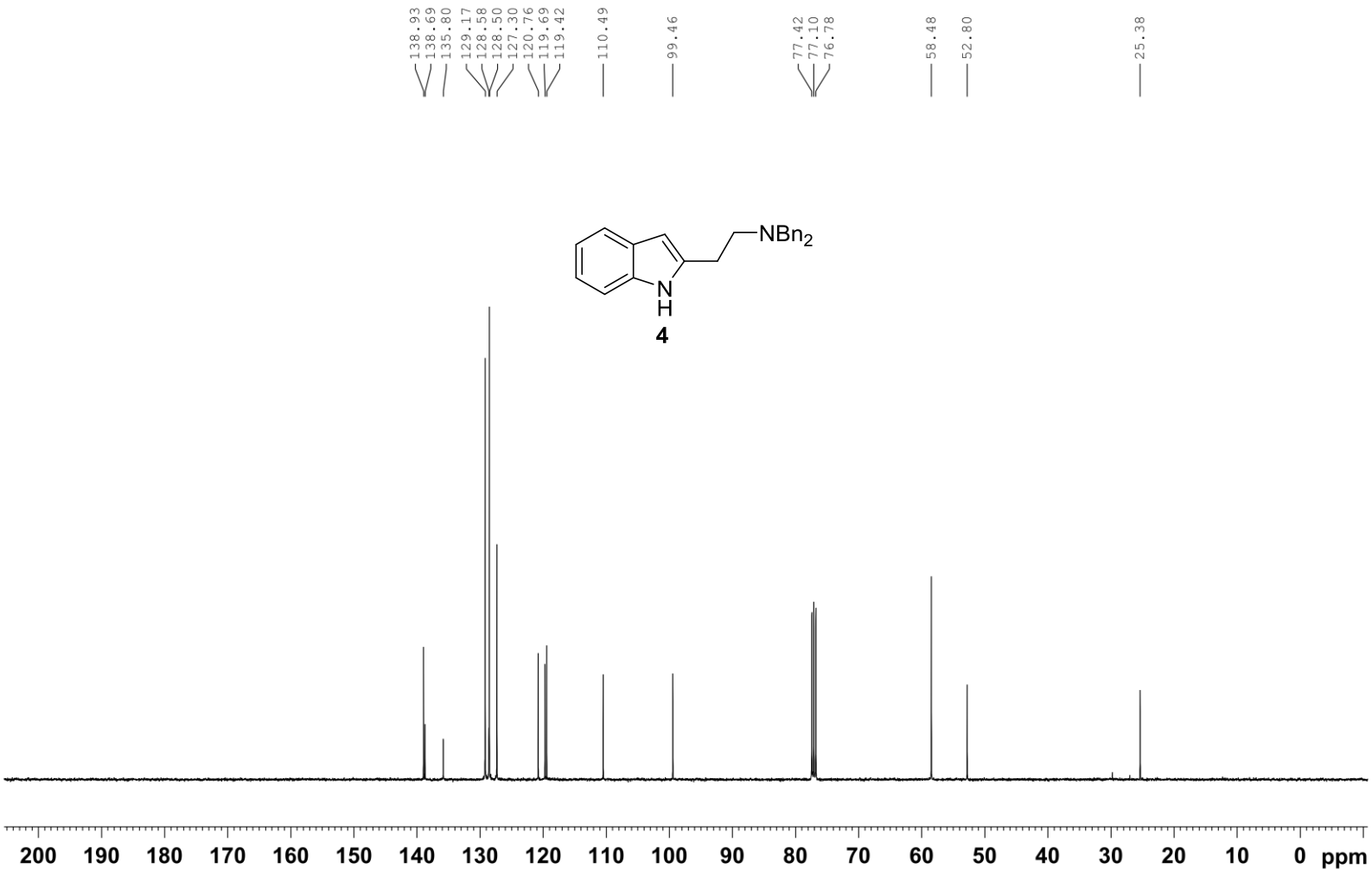
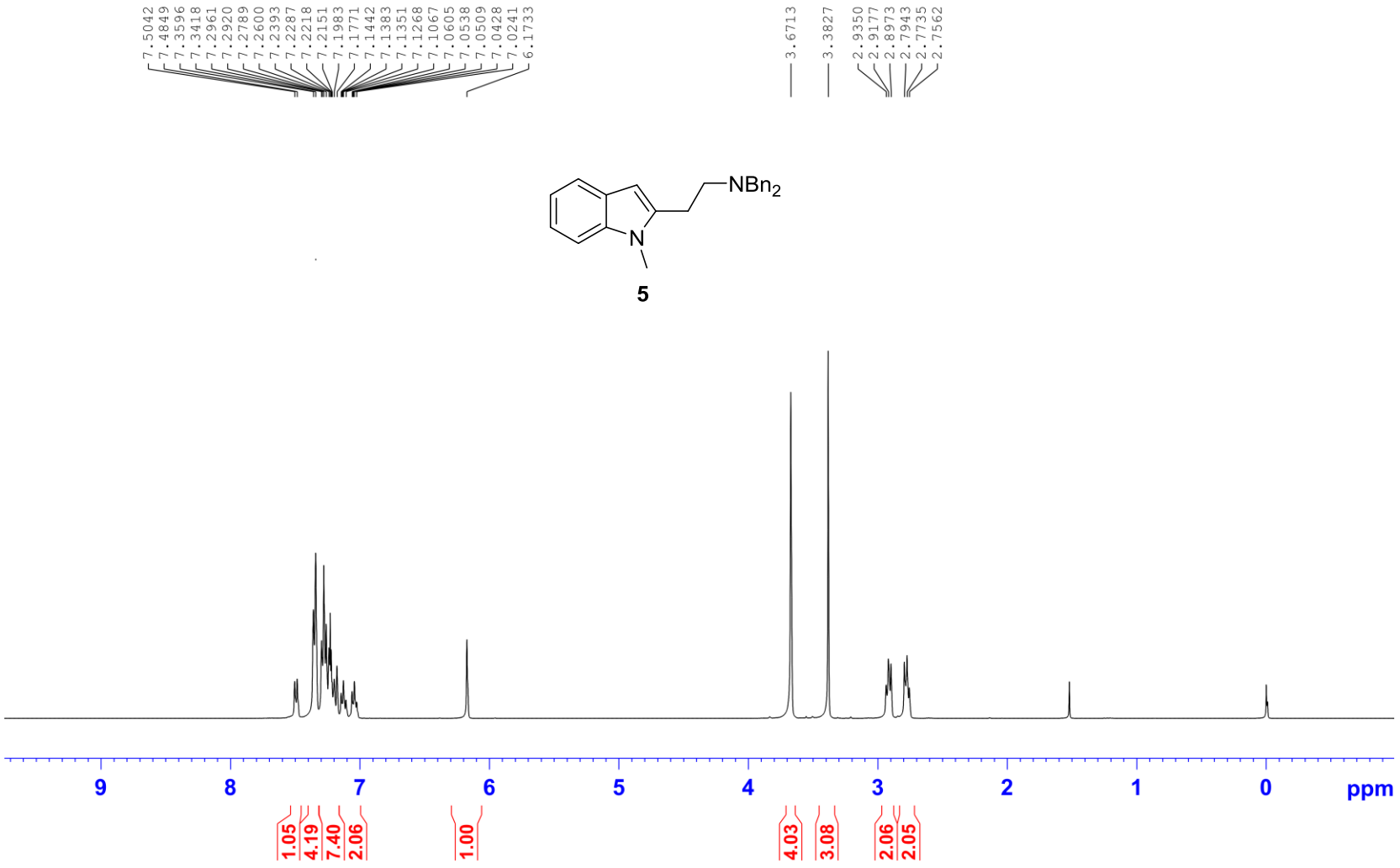


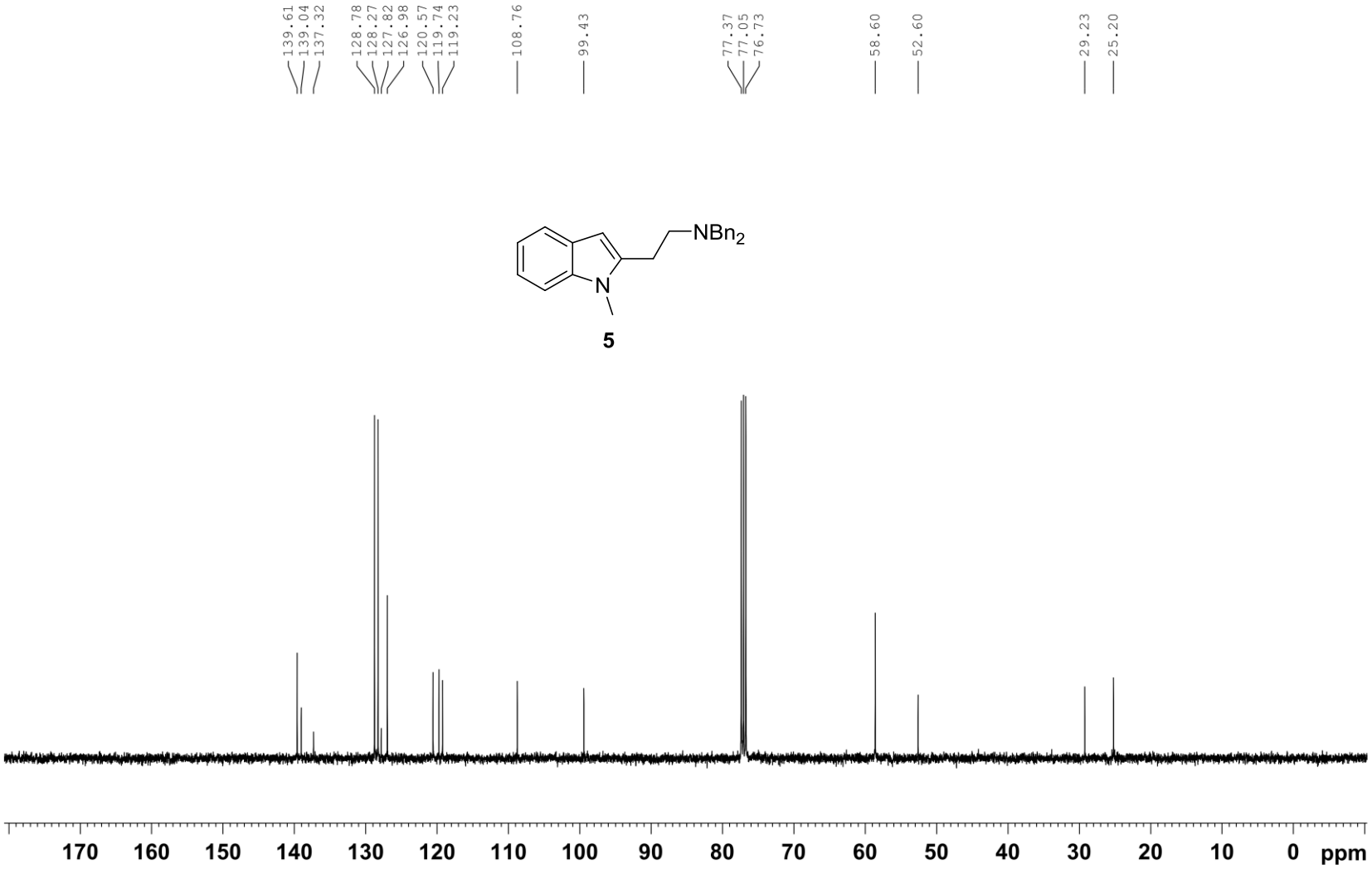
LLX-X160922-1-C



llx-X16X24-1-HNMR



llx-X16X24-1-CNMR



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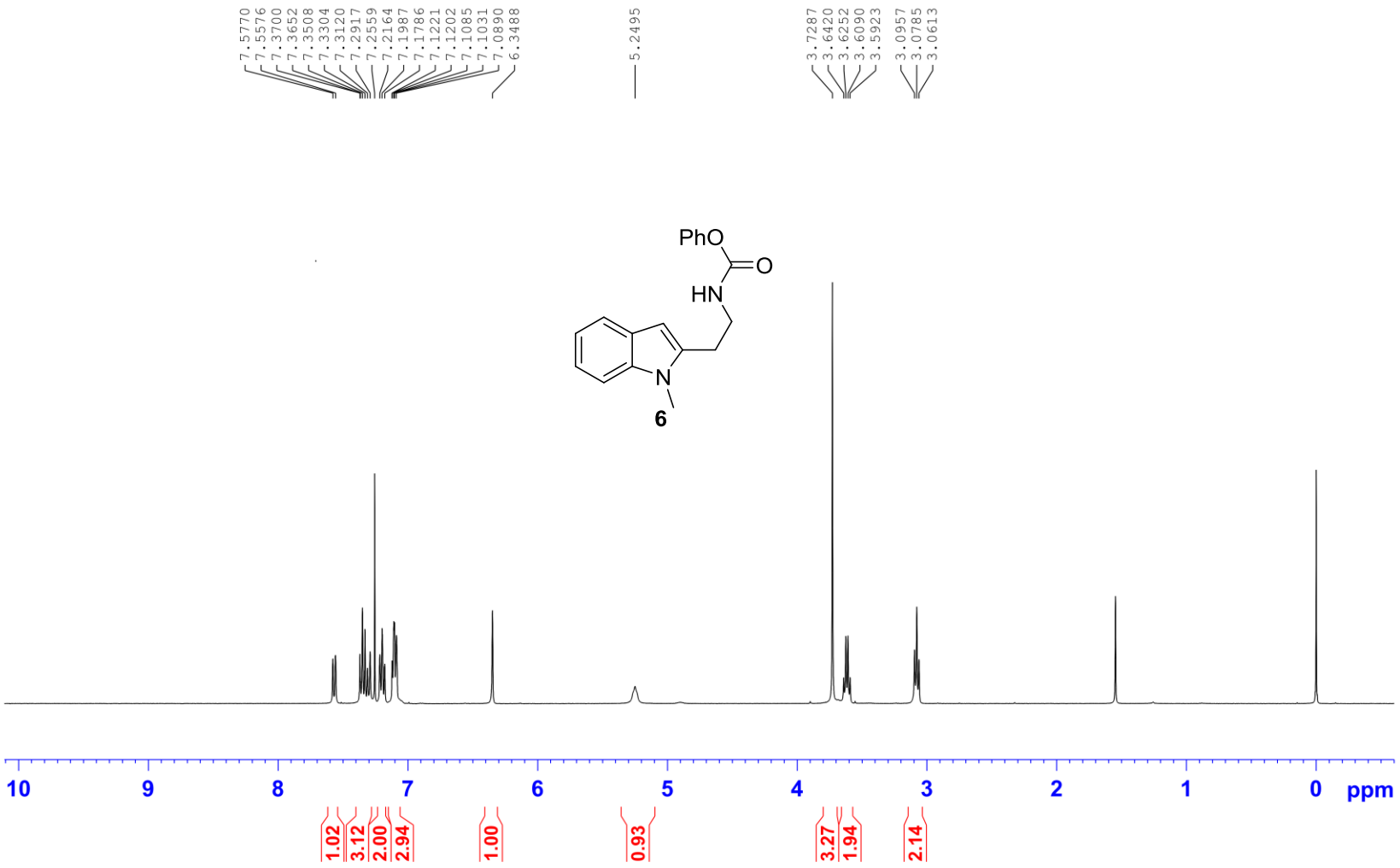
LLX-X16X26-1-HNMR



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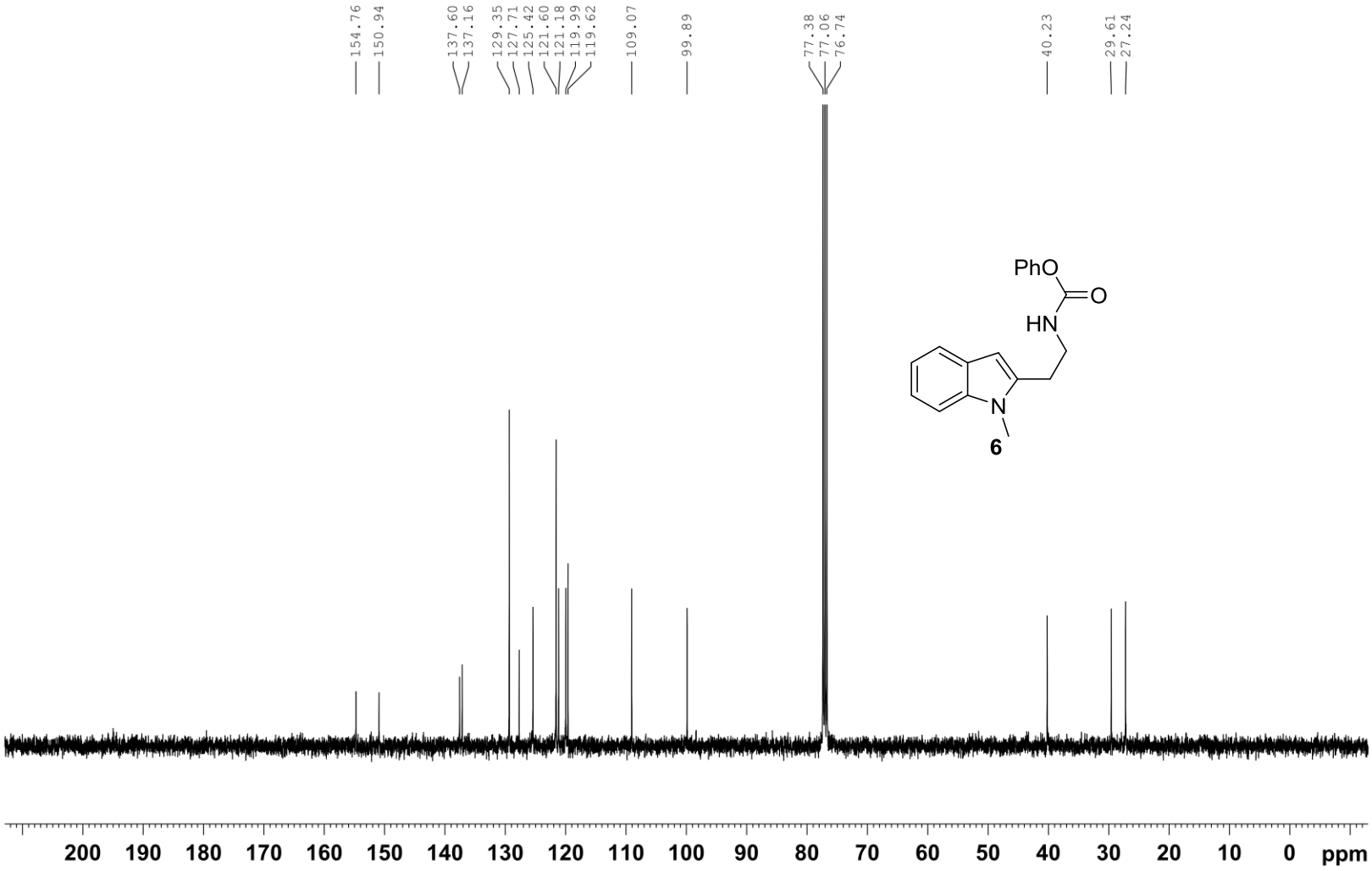

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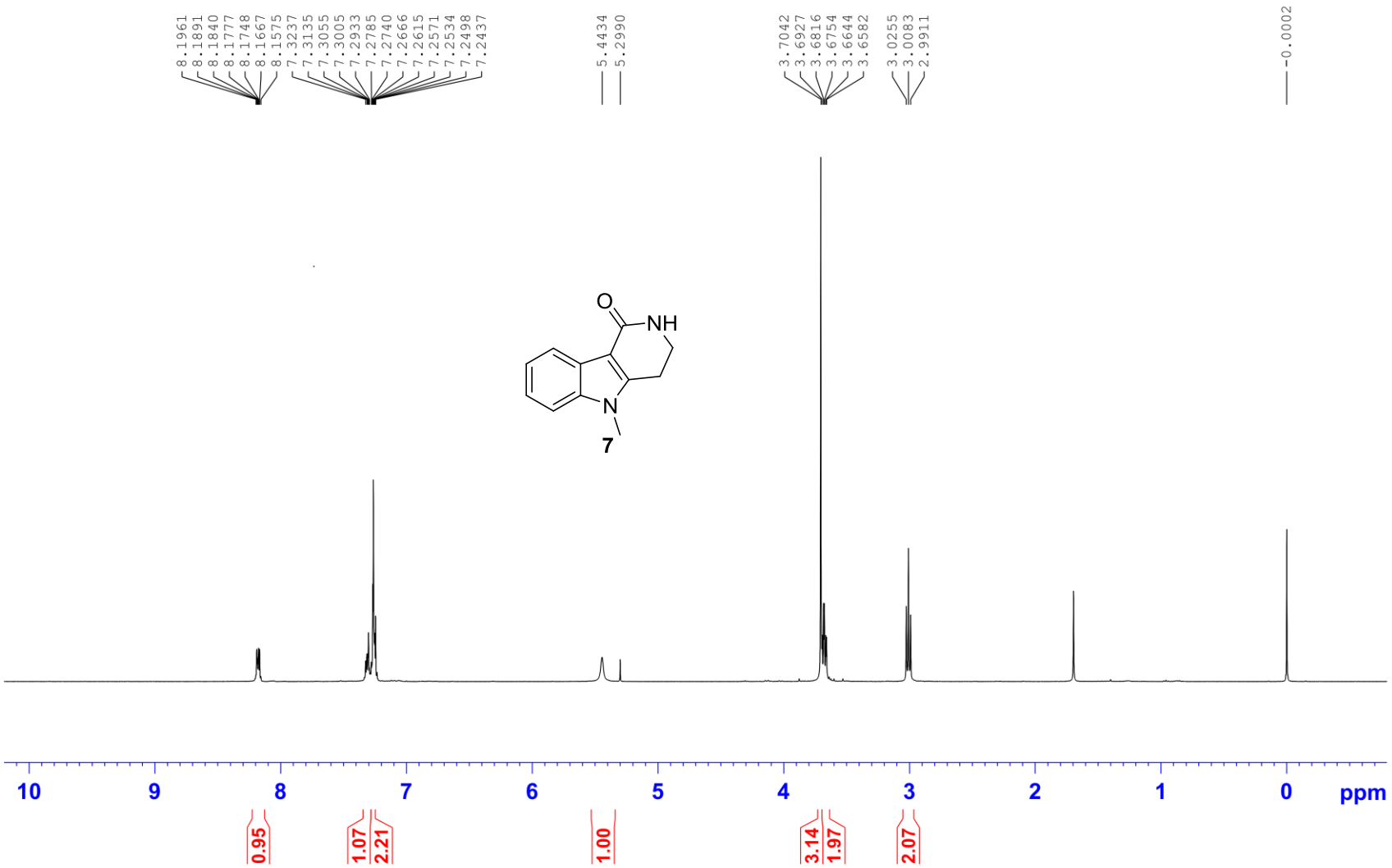

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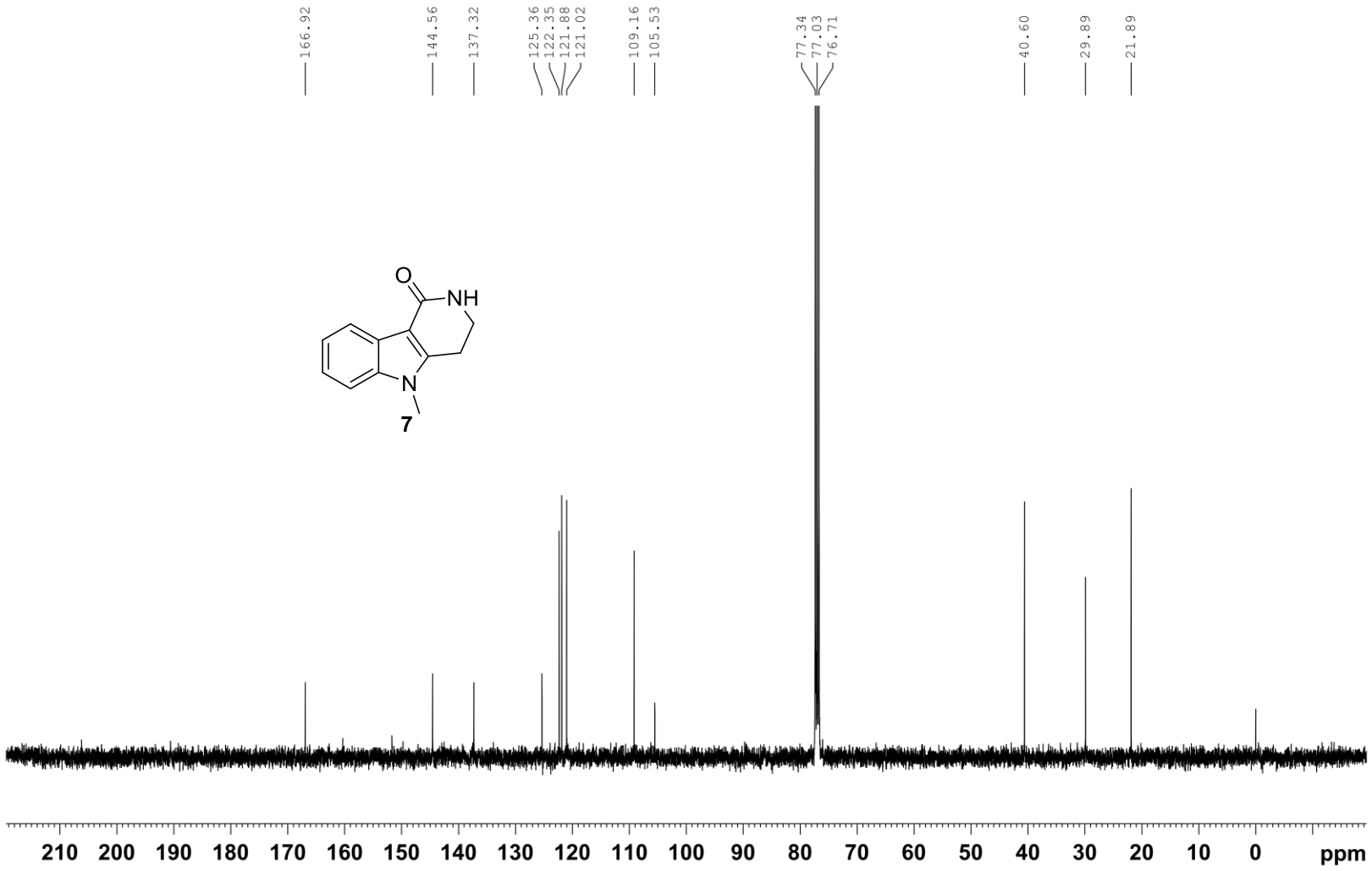

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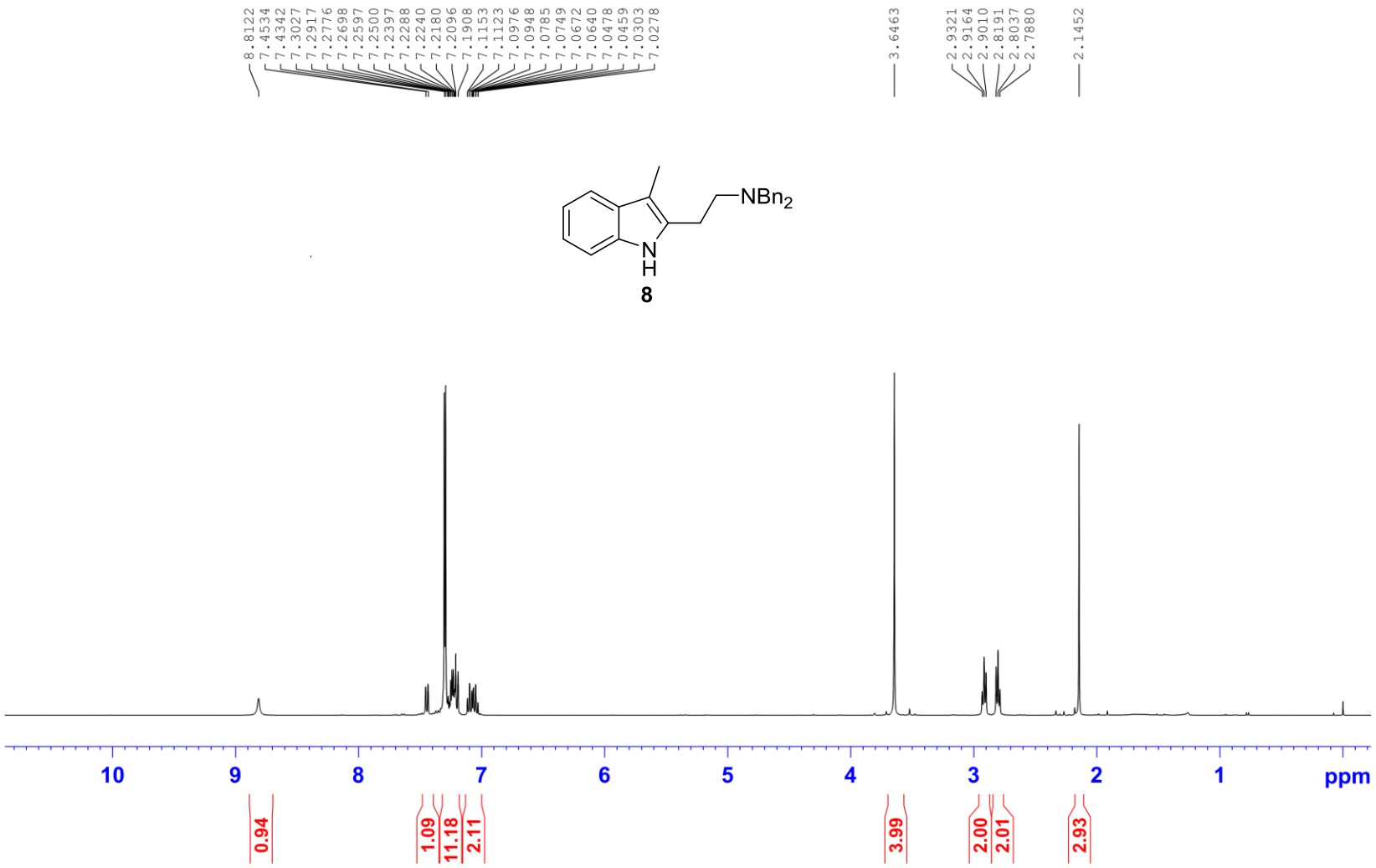

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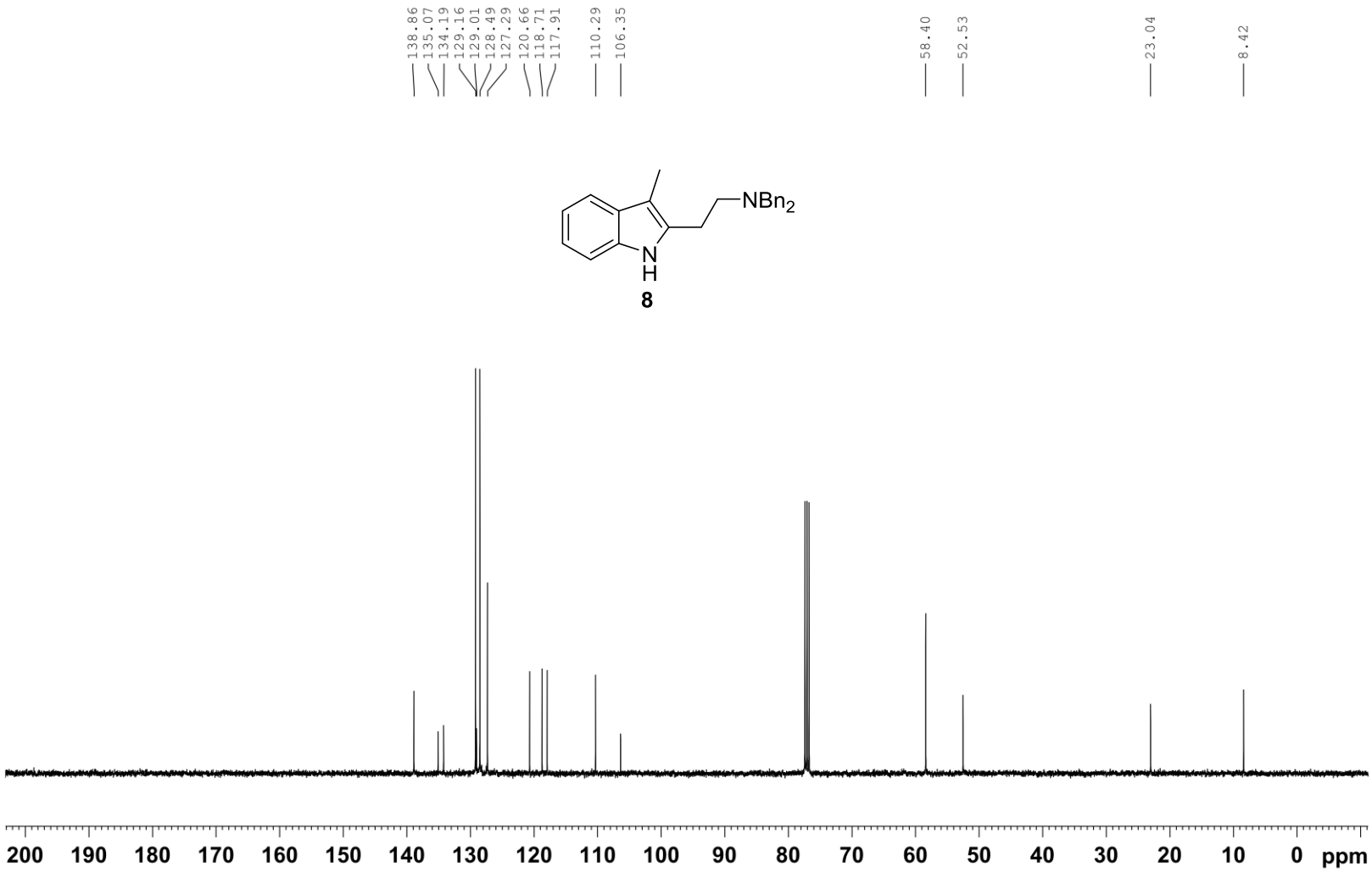

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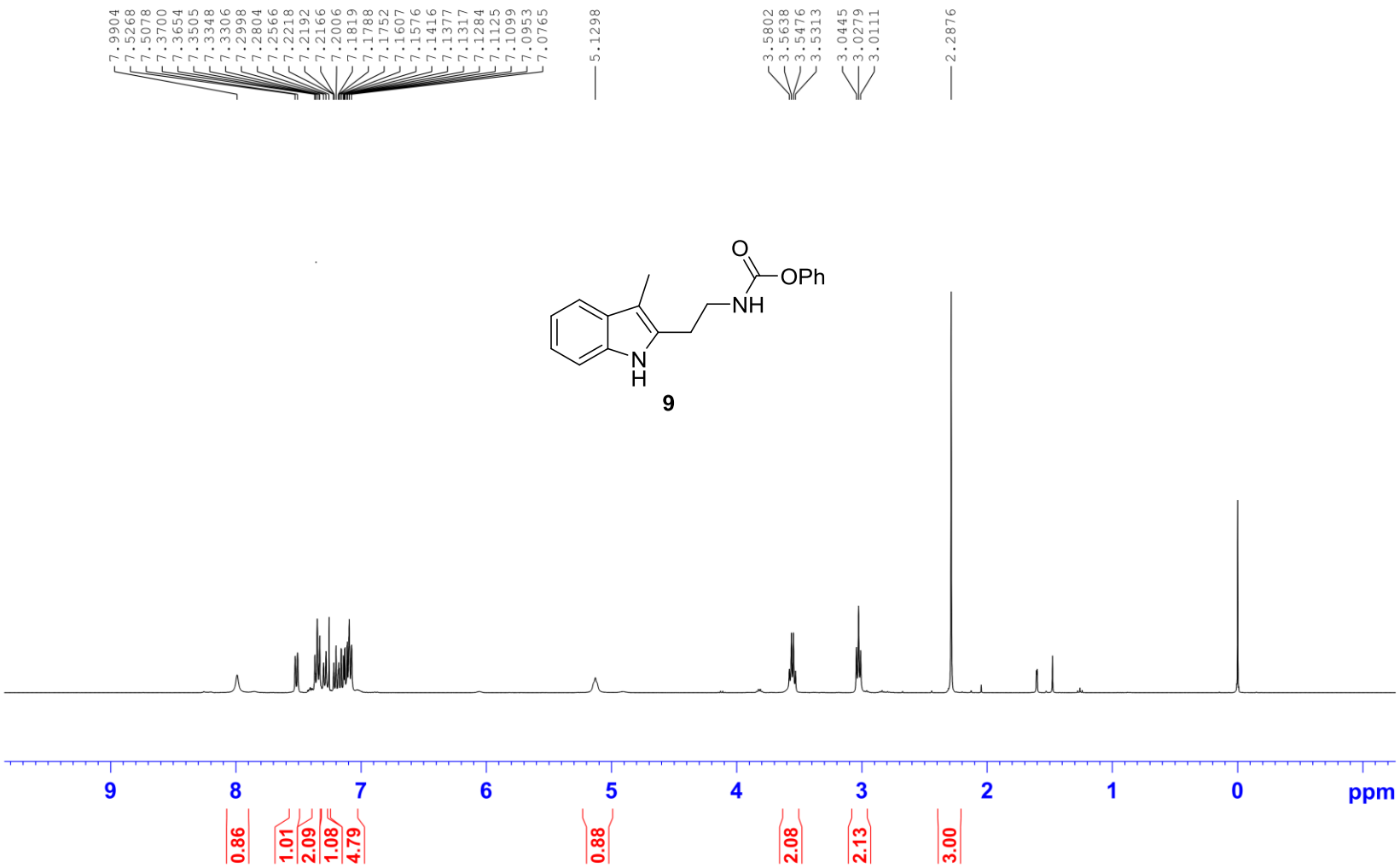

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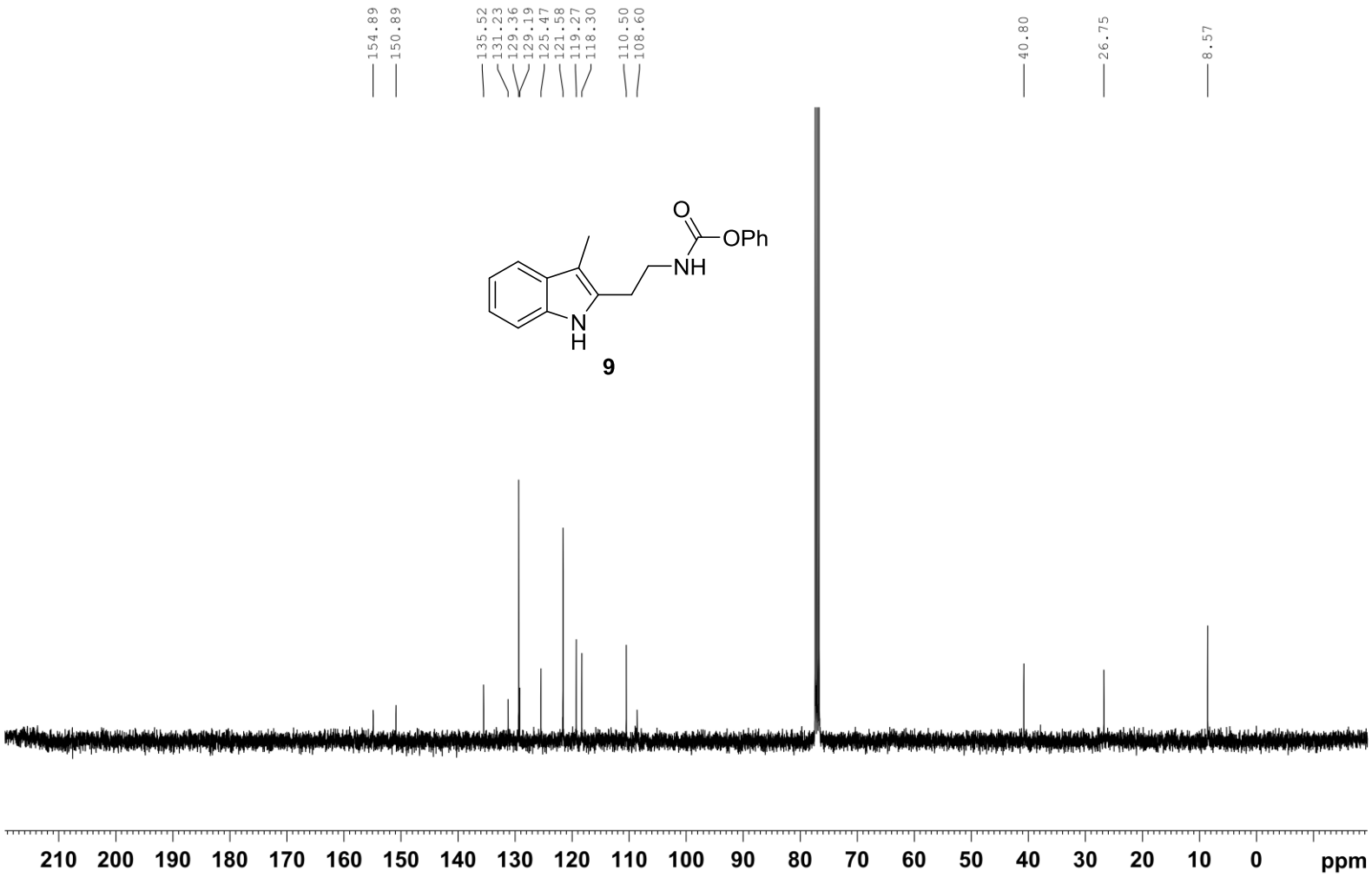
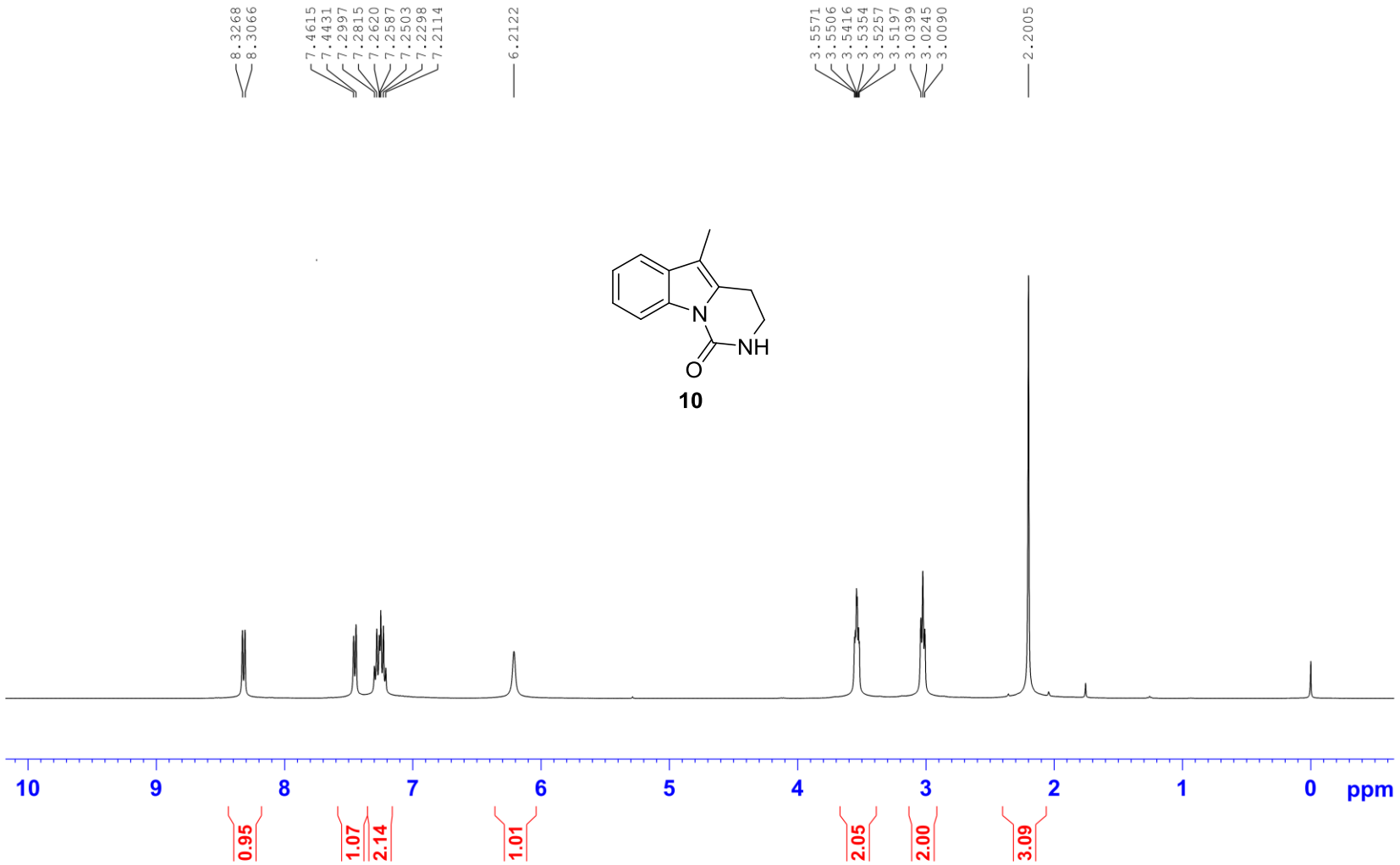


LLX-X16YZ01-1-H



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