Supporting Information

Generation of Gold Carbenes in Water: Efficient Intermolecular Trapping of the α-Oxo Gold Carbenoids by Indoles and Anilines

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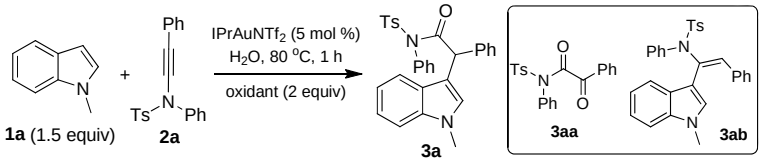
General Information. Ethyl acetate (ACS grade), hexanes (ACS grade) and anhydrous 1, 2-dichloroethane (ACS grade) were obtained commercially and used without further purification. Methylene chloride, tetrahydrofuran and diethyl ether were purified according to standard methods unless otherwise noted. Commercially available reagents were used without further purification. Reactions were monitored by thin layer chromatography (TLC) using silicycle pre-coated silica gel plates. Flash column chromatography was performed over silica gel (300-400 mesh). Infrared spectra were recorded on a Nicolet AVATER FTIR330 spectrometer as thin film and are reported in reciprocal centimeter (cm^{-1}). Mass spectra were recorded with Micromass QTOF2 Quadrupole/Time-of-Flight Tandem mass spectrometer using electron spray ionization.

^{1}H NMR spectra and ^{13}C NMR spectra were recorded on a Bruker AV-400 spectrometer and a Bruker AV-500 spectrometer in chloroform-d_3. For ^{1}H NMR spectra, chemical shifts are reported in ppm with the internal TMS signal at 0.0 ppm as a standard. For ^{13}C NMR spectra, chemical shifts are reported in ppm with the internal chloroform signal at 77.0 ppm as a standard.

Table 1. Effects of oxidant on the oxidative gold catalysis



1a (1.5 equiv) **2a** **3a** **3aa** **3ab**

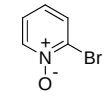
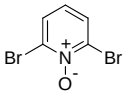
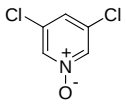
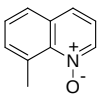
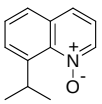
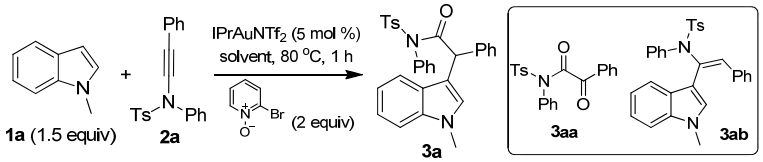
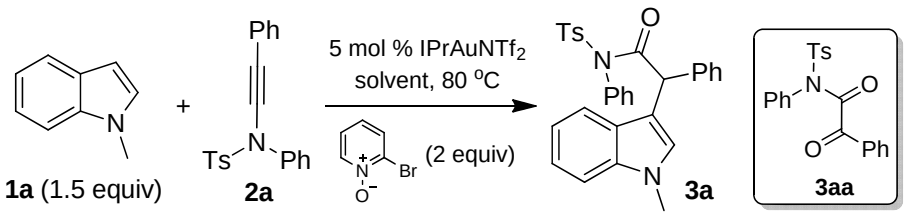
Entry	Oxidant	Yield [%] 3a	3aa	3ab
1		88	<2	<2
2		<5	<5	30
3		67	10	<2
4		76	6	<2
5		87	<2	<2

Table 2. Effects of solvent on the oxidative gold catalysis



Entry	Solvent	Yield [%] 3a	3aa	3ab
1	DCE	26	35	<2
2	toluene	23	52	<2
3	CH_3CN	40	43	<2
4	CH_3NO_2	42	35	<2
5	DMF	60	34	<2
6	DMSO	<5	83	<2
7	CH_3CH_2OH	28	14	<2
8	CF_3CH_2OH	30	16	<2
9	H_2O	88	<2	<2

Kinetic Experiments:



Ynamide **2a** (104.2 mg, 0.30 mmol), 2-bromopyridine *N*-oxide (104.4 mg, 0.60 mmol), and $IPrAuNTf_2$ (13.5 mg, 0.015 mmol) were added in this order to a mixture of the indole **1a** (59.1 mg, 0.45 mmol) in a certain solvent (3.0 mL), and the reaction mixture was then stirred at 80 °C. The reaction was quenched with a drop of Et_3N at different time. The reaction diluted with DCM (30 mL) and washed with H_2O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with $MgSO_4$. The mixture was then concentrated and the crude mixture was analyzed by ^1H NMR to determine the reaction yield (**2a**, **3a**, **3aa**) by using diethyl phthalate as the internal standard.

Figure 1 Kinetic study of the reaction in DCE

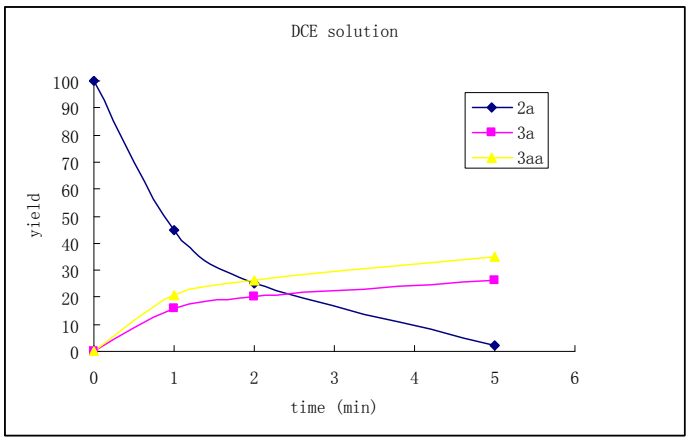


Figure 2 Kinetic study of the reaction in DCE/H_2O = 1/1

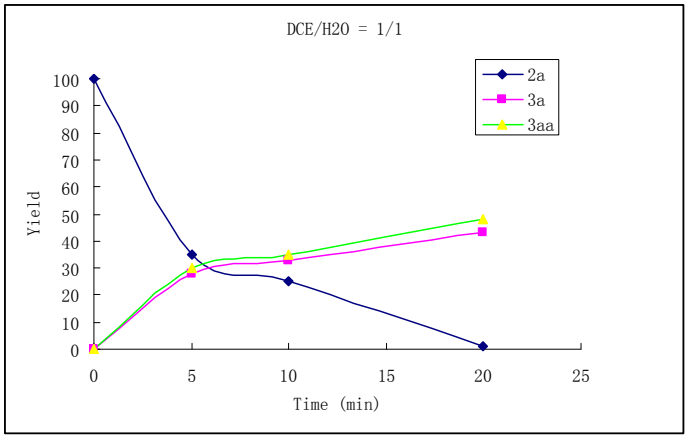


Figure 3 Kinetic study of the reaction in H_2O

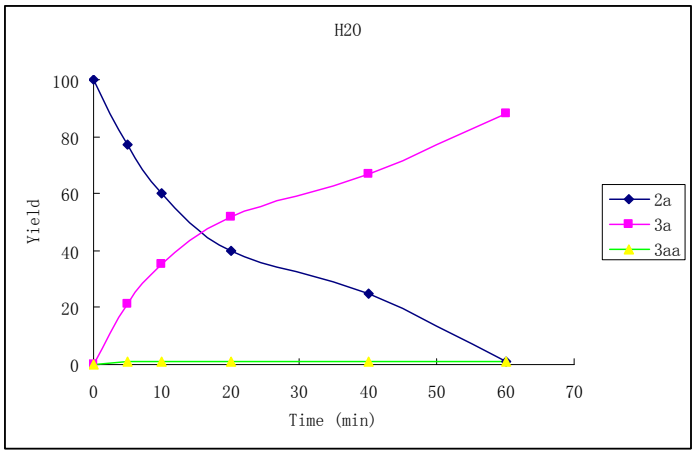
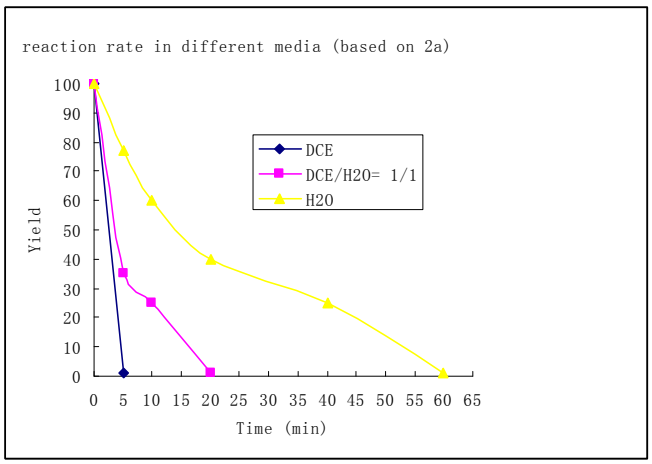
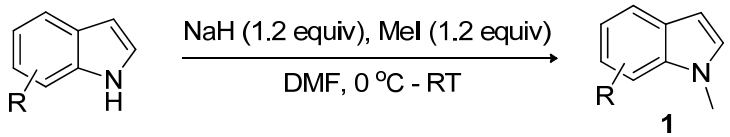


Figure 4 Reaction rate in different media (based on **2a**)

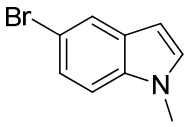


Representative synthetic procedures for the preparation of *N*-methylindoles 1:[1]



1

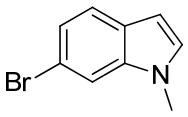
5-bromo-1-methyl-1H-indole (1b)



1b

This compound is known and the spectroscopic data match those reported.[2] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, 1H, *J* = 2.0 Hz), 7.26 (d, 1H, *J* = 2.0 Hz), 7.16 (d, 1H, *J* = 8.4 Hz), 7.02 (d, 1H, *J* = 3.2 Hz), 6.40 (dd, 1H, *J* = 0.8 Hz, *J* = 3.2 Hz), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 135.3, 130.1, 129.9, 124.2, 123.2, 112.6, 110.6, 100.5, 32.9.

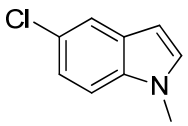
6-bromo-1-methyl-1H-indole (1c)



1c

This compound is known and the spectroscopic data match those reported.[3] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 – 7.42 (m, 2H), 7.17 (dd, 1H, *J* = 1.6 Hz, *J* = 8.4 Hz), 6.95 (d, 1H, *J* = 3.2 Hz), 6.41 (dd, 1H, *J* = 0.8 Hz, *J* = 3.6 Hz), 3.66 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 137.4, 129.3, 127.2, 122.4, 121.9, 115.0, 112.2, 101.1, 32.7.

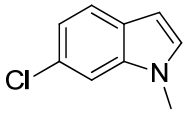
5-chloro-1-methyl-1H-indole (1d)



1d

This compound is known and the spectroscopic data match those reported.[2] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (s, 1H), 7.25 – 7.13 (m, 2H), 7.05 (s, 1H), 6.41 (s, 1H), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 135.1, 130.0, 129.4, 125.0, 121.7, 120.1, 110.1, 100.5, 32.9.

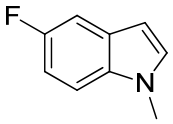
6-chloro-1-methyl-1H-indole (1e)



1e

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, 1H, *J* = 0.4 Hz), 7.33 (d, 1H, *J* = 0.8 Hz), 7.10 (dd, 1H, *J* = 0.4 Hz, *J* = 8.4 Hz), 7.04 (d, 1H, *J* = 3.2 Hz), 6.48 (d, 1H, *J* = 3.2 Hz), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 137.0, 129.4, 127.5, 126.9, 121.6, 119.9, 109.2, 101.2, 32.7; IR (neat): 2922, 1706, 1512, 1476, 1440, 1420, 1330, 1277, 1240, 1198, 1172, 1145, 1081, 1062, 793, 777, 718; MS (ES^+) Calculated for $[C_9H_8ClNNa]^+$: 188.0; Found: 188.0; HRMS (ES^+) Calculated for $[C_9H_8ClNNa]^+$: 188.0243; Found: 188.0250.

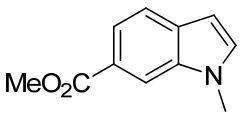
5-fluoro-1-methyl-1H-indole (1f)



1f

This compound is known and the spectroscopic data match those reported.[4] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 – 7.18 (m, 2H), 7.06 (s, 1H), 6.95 (s, 1H), 6.42 (s, 1H), 3.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.8 (d, *J* = 232.4 Hz), 133.4, 130.3, 128.6 (d, *J* = 10.4 Hz), 109.9, 109.7 (t, *J* = 4.8 Hz), 105.4 (d, *J* = 23.2 Hz), 100.8 (d, *J* = 4.7 Hz), 33.0.

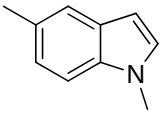
methyl 1-methyl-1H-indole-6-carboxylate (1g)



1g

This compound is known and the spectroscopic data match those reported.[5] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.79 (dd, 1H, *J* = 1.2 Hz, *J* = 8.0 Hz), 7.62 (d, 1H, *J* = 8.0 Hz), 7.20 (d, 1H, *J* = 3.2 Hz), 6.52 (dd, 1H, *J* = 0.4 Hz, *J* = 2.8 Hz), 3.94 (s, 3H), 3.85 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1, 135.9, 132.0, 131.9, 123.0, 120.3, 120.2, 111.6, 101.2, 51.8, 32.8.

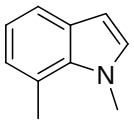
1,5-dimethyl-1H-indole (1h)



1h

This compound is known and the spectroscopic data match those reported.[2] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.38 (m, 1H), 7.18 (d, 1H, *J* = 8.4 Hz), 7.02 (dd, 1H, *J* = 1.6 Hz, *J* = 8.4 Hz), 6.96 (d, 1H, *J* = 3.2 Hz), 6.37 (dd, 1H, *J* = 0.8 Hz, *J* = 3.2 Hz), 3.70 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 135.1, 128.75, 128.71, 128.3, 123.0, 120.4, 108.7, 100.2, 32.7, 21.3.

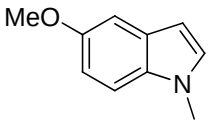
1,7-dimethyl-1H-indole (1i)



1i

This compound is known and the spectroscopic data match those reported.[4] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (d, 1H, *J* = 7.6 Hz), 6.98 – 6.86 (m, 3H), 6.40 (d, 1H, *J* = 2.8 Hz), 4.01 (s, 3H), 2.74 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 135.4, 130.3, 129.6, 124.1, 121.1, 119.5, 119.0, 100.8, 36.6, 19.6.

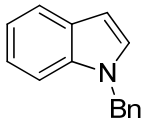
5-methoxy-1-methyl-1H-indole (1j)



1j

This compound is known and the spectroscopic data match those reported.[4] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.17 (d, 1H, *J* = 9.2 Hz), 7.07 (s, 1H, *J* = 2.4 Hz), 6.97 (d, 1H, *J* = 3.2 Hz), 6.87 (dd, 1H, *J* = 2.4 Hz, *J* = 8.8 Hz), 6.38 (dd, 1H, *J* = 0.4 Hz, *J* = 3.2 Hz), 3.82 (s, 3H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.9, 132.0, 129.2, 128.7, 111.7, 109.8, 102.4, 100.3, 55.8, 32.8.

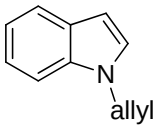
1-benzyl-1H-indole (1k)



1k

This compound is known and the spectroscopic data match those reported.[6] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, 1H, *J* = 7.6 Hz), 7.28 – 7.20 (m, 4H), 7.17 – 7.04 (m, 5H), 6.53 (dd, 1H, *J* = 0.4 Hz, *J* = 3.2 Hz), 5.25 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 137.5, 136.3, 128.7, 128.2, 127.5, 126.7, 121.6, 120.9, 119.5, 109.6, 101.6, 50.0.

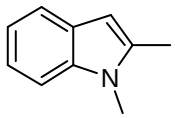
1-allyl-1H-indole (1l)



1l

This compound is known and the spectroscopic data match those reported.[7] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 1H, *J* = 7.6 Hz), 7.31 (d, 1H, *J* = 8.0 Hz), 7.24 – 7.16 (m, 1H), 7.13 – 7.05 (m, 2H), 6.51 (d, 1H, *J* = 2.4 Hz), 6.04 – 5.92 (m, 1H), 5.18 (d, 1H, *J* = 10.0 Hz), 5.08 (d, 1H, *J* = 17.2 Hz), 4.71 (d, 2H, *J* = 5.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 136.0, 133.4, 128.6, 127.7, 121.4, 120.9, 119.3, 117.2, 109.5, 101.3, 48.8.

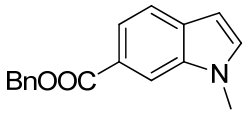
1,2-dimethyl-1H-indole (1m)



1m

This compound is known and the spectroscopic data match those reported.[2] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (d, 1H, *J* = 7.2 Hz), 7.23 (d, 1H, *J* = 8.0 Hz), 7.13 (t, 1H, *J* = 7.2 Hz), 7.05 (t, 1H, *J* = 7.2 Hz), 6.22 (s, 1H), 3.62 (s, 3H), 2.39 (s, 3H) ; ^{13}C NMR (100 MHz, $CDCl_3$) δ 137.3, 136.7, 127.9, 120.3, 119.5, 119.2, 108.6, 99.5, 29.3, 12.6.

benzyl 1-methyl-1H-indole-6-carboxylate (1n)

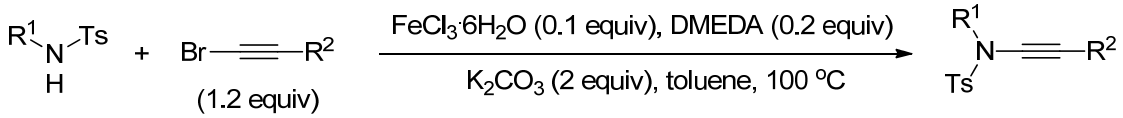


1n

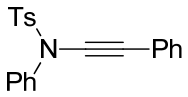
This compound is known and the spectroscopic data match those reported.[8] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 7.84 (dd, 1H, *J* = 1.2 Hz *J* = 8.4 Hz), 7.62 (d, 1H, *J* = 8.4 Hz), 7.50 – 7.46 (m, 2H), 7.41 – 7.34 (m, 3H), 7.19 (d, 1H, *J* = 3.2 Hz), 6.51 (dd, 1H, *J* =

0.8 Hz, J = 2.8 Hz), 5.40 (s, 2H), 3.83 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 136.5, 136.0, 132.2, 132.1, 128.5, 128.1, 128.0, 123.0, 120.4, 120.3, 111.8, 101.3, 66.4, 33.0.

Representative synthetic procedures for the preparation of ynamides 2:[9]



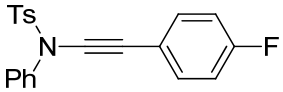
4-methyl-N-phenyl-N-(phenylethynyl)benzenesulfonamide (2a)



2a

This compound is known and the spectroscopic data match those reported.[9] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 2H, J = 8.4 Hz), 7.41 – 7.23 (m, 12H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.9, 138.9, 132.9, 131.4, 129.4, 129.0, 128.3, 128.2, 127.9, 126.2, 122.6, 82.9, 70.4, 21.6.

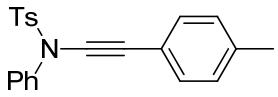
N-((4-fluorophenyl)ethynyl)-4-methyl-N-phenylbenzenesulfonamide (2b)



2b

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, 2H, J = 8.4 Hz), 7.38 – 7.26 (m, 9H), 6.99 – 6.94 (m, 2H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.5, 161.0, 145.0, 138.7, 133.4 (d, J = 33.2 Hz), 129.4, 129.0, 128.1 (d, J = 34.4 Hz), 126.1, 118.5 (d, J = 14.0 Hz), 115.5, 115.3, 82.5, 69.3, 21.5; IR (neat): 3069, 2920, 2242, 1888, 1598, 1508, 1489, 1374, 1230, 1175, 1090, 836, 768, 691, 668, 580, 550, 529; MS (ES^+) Calculated for $[C_{21}H_{16}FNNaO_2S]^+$: 388.1; Found: 388.1; HRMS (ES^+) Calculated for $[C_{21}H_{16}FNNaO_2S]^+$: 388.0783; Found: 388.0783.

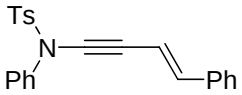
4-methyl-N-phenyl-N-(p-tolylethynyl)benzenesulfonamide (2c)



2c

This compound is known and the spectroscopic data match those reported.[10] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, 2H, *J* = 8.4 Hz), 7.36 – 7.28 (m, 9H), 7.13 (d, 2H, *J* = 8.0 Hz), 2.46 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.8, 139.1, 138.1, 133.0, 131.5, 129.4, 129.0, 128.2, 128.1, 126.2, 119.4, 82.2, 70.5, 21.6, 21.4.

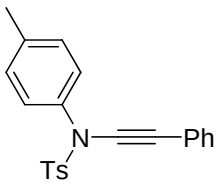
(E)-4-methyl-N-phenyl-N-(4-phenylbut-3-en-1-yn-1-yl)benzenesulfonamide (2d)



2d

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, 2H, *J* = 8.0 Hz), 7.41 – 7.28 (m, 12H), 6.89 (d, 1H, *J* = 16.0 Hz), 6.29 (d, 1H, *J* = 16.0 Hz), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.9, 139.9, 138.9, 136.3, 133.1, 129.5, 129.0, 128.7, 128.4, 128.2, 126.2, 126.1, 107.3, 84.9, 70.1, 21.6; IR (neat): 2923, 2221, 2092, 1596, 1488, 1371, 1187, 1174, 1088, 689, 578; MS (ES^+) Calculated for $[C_{23}H_{19}NNaO_2S]^+$: 396.1; Found: 396.1; HRMS (ES^+) Calculated for $[C_{23}H_{19}NNaO_2S]^+$: 396.1034; Found: 396.1029.

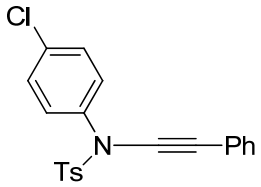
4-methyl-N-(phenylethynyl)-N-(p-tolyl)benzenesulfonamide (2e)



2e

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 2H, *J* = 8.0 Hz), 7.40 – 7.34 (m, 2H), 7.32 – 7.24 (m, 5H), 7.20 – 7.10 (m, 4H), 2.43 (s, 3H) , 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.8, 138.4, 136.4, 133.1, 131.3, 129.6, 129.4, 128.3, 128.2, 127.8, 126.2, 122.7, 83.3, 70.2, 21.6, 21.1; IR (neat): 2920, 2237, 1600, 1506, 1372, 1186, 1171, 1089, 912, 750, 691, 669, 571, 550; MS (ES^+) Calculated for $[C_{22}H_{19}NNaO_2S]^+$: 384.1; Found: 384.1; HRMS (ES^+) Calculated for $[C_{22}H_{19}NNaO_2S]^+$: 384.1034; Found: 384.1029.

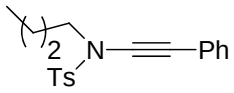
N-(4-chlorophenyl)-4-methyl-N-(phenylethynyl)benzenesulfonamide (2f)



2f

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, 2H, *J* = 8.0 Hz), 7.45 – 7.41 (m, 2H), 7.40 – 7.27 (m, 9H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.2, 137.4, 133.9, 132.5, 131.3, 129.5, 129.1, 128.2, 128.1, 128.0, 127.3, 122.2, 82.4, 70.9, 21.6; IR (neat): 2923, 2239, 2096, 1597, 1485, 1372, 1275, 1259, 1173, 1088, 749, 664, 560; MS (ES^+) Calculated for $[C_{21}H_{16}ClNNaO_2S]^+$: 404.0; Found: 404.0; HRMS (ES^+) Calculated for $[C_{21}H_{16}ClNNaO_2S]^+$: 404.0488; Found: 404.0492.

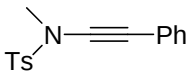
4-methyl-N-(phenylethynyl)-N-propylbenzenesulfonamide (2g)



2g

This compound is known and the spectroscopic data match those reported.[9] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, 2H, *J* = 8.4 Hz), 7.38 – 7.32 (m, 4H), 7.31 – 7.24 (m, 3H), 3.39 (t, 2H, *J* = 6.8 Hz), 2.43 (s, 3H), 1.73 – 1.64 (m, 2H), 1.43 – 1.35 (m, 2H), 0.92 (t, 3H, *J* = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.4, 134.6, 131.2, 129.6, 128.2, 127.6, 122.9, 82.4, 70.5, 51.3, 29.9, 21.5, 19.4, 13.5.

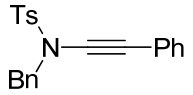
N,4-dimethyl-N-(phenylethynyl)benzenesulfonamide (2g')



2g'

This compound is known and the spectroscopic data match those reported.[11] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, 2H, *J* = 8.0 Hz), 7.37 – 7.33 (m, 4H), 7.28 – 7.24 (m, 3H), 3.12 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.7, 132.9, 131.2, 129.7, 128.1, 127.7, 127.6, 122.4, 83.8, 68.8, 39.1, 21.4.

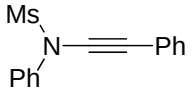
N-benzyl-4-methyl-N-(phenylethynyl)benzenesulfonamide (2h)



2h

This compound is known and the spectroscopic data match those reported.[11] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, 2H, *J* = 8.4 Hz), 7.38 – 7.32 (m, 7H), 7.29 – 7.25 (m, 5H), 4.61 (s, 2H) , 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.6, 134.7, 134.4, 131.1, 129.7, 128.8, 128.5, 128.3, 128.2, 127.7, 127.6, 122.8, 82.7, 71.3, 55.7, 21.6.

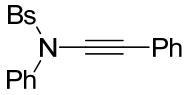
N-phenyl-N-(phenylethynyl)methanesulfonamide (2i)



2i

This compound is known and the spectroscopic data match those reported.[12] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 – 7.56 (m, 2H), 7.46 – 7.41 (m, 4H), 7.38 – 7.34 (m, 1H), 7.32 – 7.29 (m, 3H), 3.15 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 138.7, 131.5, 129.5, 128.3, 128.2, 125.5, 122.3, 82.0, 71.0, 36.8.

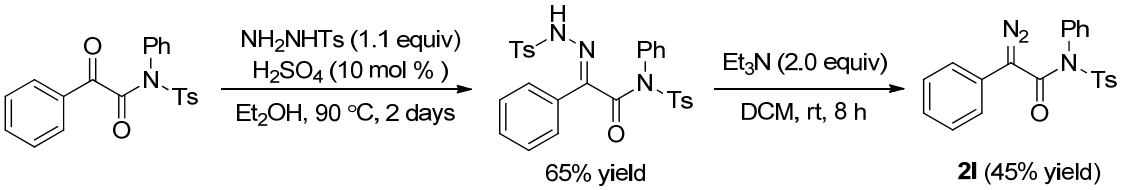
4-bromo-N-phenyl-N-(phenylethynyl)benzenesulfonamide (2j)



2j

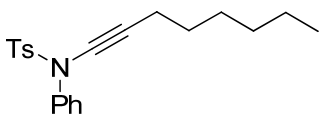
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 – 7.57 (m, 4H), 7.40 – 7.28 (m, 10H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 138.6, 134.7, 132.2, 131.5, 129.6, 129.2, 128.5, 128.3, 128.2, 126.2, 122.2, 82.4, 70.8; IR (neat): 3065, 2925, 2240, 1885, 1592, 1504, 1483, 1374, 1229, 1160, 1070, 835, 768, 693, 665, 580; MS (ES^+) Calculated for $[C_{20}H_{14}BrNNaO_2S]^+$: 434.0; Found: 433.9; HRMS (ES^+) Calculated for $[C_{20}H_{14}BrNNaO_2S]^+$: 433.9826; Found: 433.9832.

2-diazo-N,2-diphenyl-N-tosylacetamide (2l)



Compound **2l** was prepared according to the known procedure.[13] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, 2H, J = 8.4 Hz), 7.36 – 7.24 (m, 9H), 7.20 – 7.16 (m, 1H), 7.14 – 7.09 (m, 2H), 2.42 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.1, 144.5, 136.0, 134.9, 129.3, 129.2, 129.1(5), 129.1(1), 129.0, 128.9, 127.2, 125.7, 124.9, 21.6; IR (neat): 3066, 2920, 2853, 2086(s), 1672(s), 1597, 1496, 1486, 1365, 1328, 1243, 1186, 1172, 1134, 1088, 756, 704, 694, 586, 561; MS (ES^+) Calculated for $[C_{21}H_{17}N_3NaO_3S]^+$: 414.1; Found: 414.1; HRMS (ES^+) Calculated for $[C_{21}H_{17}N_3NaO_3S]^+$: 414.0888; Found: 414.0889.

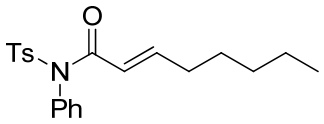
4-methyl-N-(oct-1-yn-1-yl)-N-phenylbenzenesulfonamide (2m)



2m

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (d, 2H, *J* = 8.4 Hz), 7.33 – 7.27 (m, 7H), 2.44 (s, 3H), 2.31 (t, 2H, *J* = 7.2 Hz), 1.54 – 1.51 (m, 2H), 1.40 – 1.30 (m, 6H), 0.91 (t, 3H, *J* = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 139.4, 133.1, 129.3, 128.8, 128.2, 127.8, 126.0, 73.8, 70.4, 31.3, 28.8, 28.4, 22.5, 21.6, 18.4, 14.0; IR (neat): 3405, 2921, 2851, 1630, 1594, 1487, 1370, 1174, 921, 811, 704, 579; MS (ES^+) Calculated for $[C_{21}H_{25}NNaO_2S]^+$: 378.2; Found: 378.1; HRMS (ES^+) Calculated for $[C_{21}H_{25}NNaO_2S]^+$: 378.1504; Found: 378.1497.

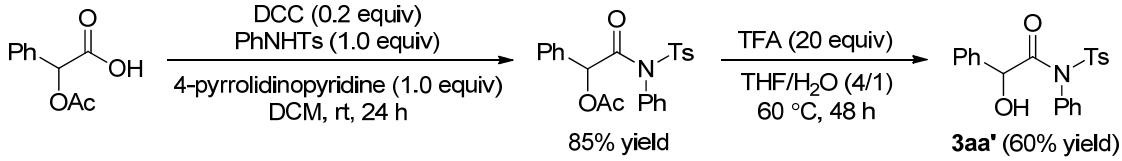
(E)-N-phenyl-N-tosyloct-2-enamide (2m')



2m'

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.48 – 7.46 (m, 3H), 7.32 (d, 2H, *J* = 8.4 Hz), 7.33 – 7.26 (m, 2H), 6.98 – 6.91 (m, 1H), 5.45 (d, 1H, *J* = 15.2 Hz), 2.43 (s, 3H), 2.00 – 1.95 (m, 2H), 1.28 – 1.11 (m, 8H), 0.80 (t, 3H, *J* = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.2, 151.0, 144.6, 136.2, 140.0, 130.2, 129.5, 129.2, 129.1, 121.1. 32.1, 30.9, 27.4, 22.1, 21.5, 13.7; IR (neat): 3408, 2921, 2851, 1691, 1658, 1631, 1484, 1451, 1124, 1089, 749, 549; MS (ES^+) Calculated for $[C_{21}H_{25}NNaO_3S]^+$: 394.1; Found: 394.1; HRMS (ES^+) Calculated for $[C_{21}H_{25}NNaO_3S]^+$: 394.1453; Found: 394.1456.

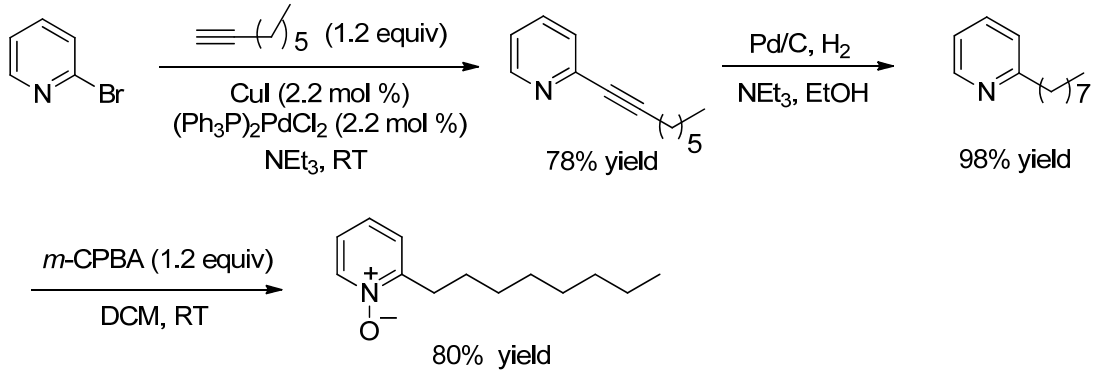
2-hydroxy-N,2-diphenyl-N-tosylacetamide (3aa')



Compound **3aa'** was prepared according to the known procedure.[14] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 9.6 Hz), 7.43 (t, 1H, *J* = 7.6 Hz), 7.40 (d, 2H, *J* = 8 Hz), 7.33 – 7.20 (m, 3H), 7.17 (t, 2H, *J* = 7.2 Hz), 6.83 (s, 2H), 6.73 (d, 2H, *J* = 7.2 Hz), 4.78 (s, 1H), 2.49 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 145.4, 137.1, 135.4, 133.9, 130.7,

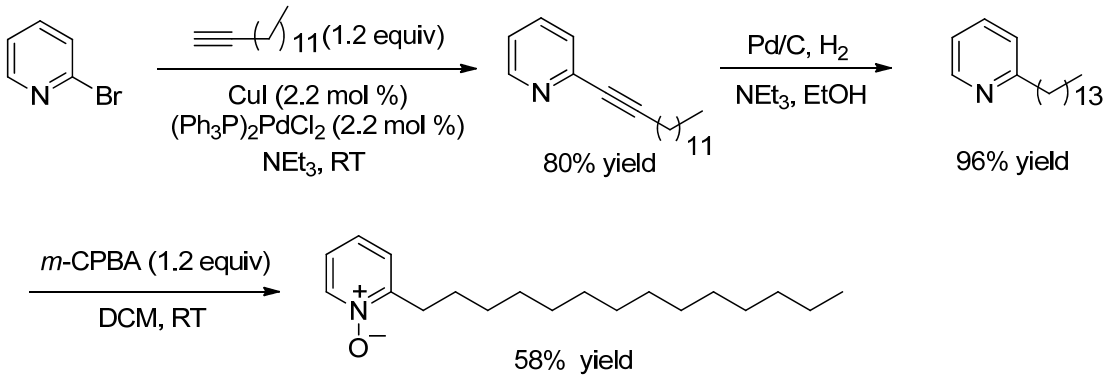
130.2, 129.5, 129.3, 129.2, 128.8, 128.7, 127.5, 73.5, 21.7; IR (neat): 3484(bs), 3069, 3032, 2922, 2853, 2256, 1699(s), 1596, 1488, 1454, 1365, 1286, 1172, 1087, 912, 735, 696; MS (ES^+) Calculated for $[C_{21}H_{19}NNaO_4S]^+$: 404.1; Found: 404.1; HRMS (ES^+) Calculated for $[C_{21}H_{19}NNaO_4S]^+$: 404.0932; Found: 404.0930.

2-octylpyridine 1-oxide

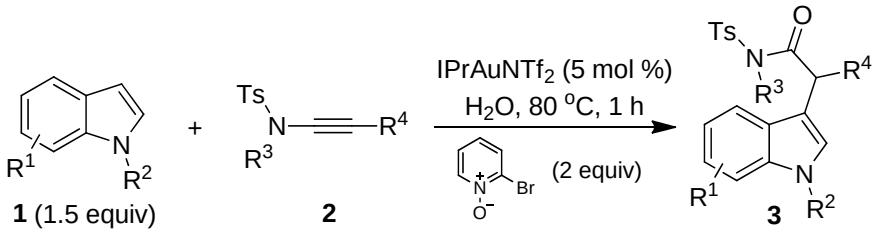


The above water-insoluble *N*-oxide was prepared according to the known procedure.[15] ^1H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, 1H, J = 6.4 Hz), 7.19 – 7.09 (m, 2H), 7.08 – 7.02 (m, 1H), 2.84 (t, 2H, J = 7.6 Hz), 1.71 – 1.58 (m, 2H), 1.41 – 1.11 (m, 10H), 0.79 (t, 3H, J = 6.8 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.6, 139.5, 125.4, 125.1, 123.1, 31.7, 30.3, 29.3, 29.2, 29.0, 25.9, 22.5, 13.9; IR (neat): 2594, 2924, 2853, 1488, 1437, 1245, 1178, 1119, 850, 765; MS (ES^+) Calculated for $[C_{13}H_{21}NNaO]^+$: 230.2; Found: 230.1; HRMS (ES^+) Calculated for $[C_{13}H_{21}NNaO]^+$: 230.1521; Found: 230.1515.

2-tetradecylpyridine 1-oxide



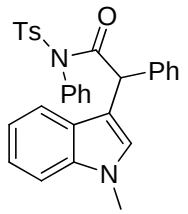
The above water-insoluble *N*-oxide was prepared according to the known procedure.[15] ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, 1H, J = 6.4 Hz), 7.21 – 7.10 (m, 2H), 7.09 – 7.02 (m, 1H), 2.84 (t, 2H, J = 7.6 Hz), 1.73 – 1.51 (m, 2H), 1.42 – 1.07 (m, 22H), 0.80 (t, 3H, J = 6.8 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.5, 139.4, 125.3, 125.1, 123.0, 31.7, 30.3, 29.6, 29.5, 29.4, 29.3, 29.2, 29.1, 29.0, 25.8, 22.5, 13.9; IR (neat): 2923, 2852, 1464, 1439, 1275, 1260, 1179, 1075, 750; MS (ES^+) Calculated for $[C_{19}H_{33}NNaO]^+$: 314.2; Found: 314.2; HRMS (ES^+) Calculated for $[C_{19}H_{33}NNaO]^+$: 314.2460; Found: 314.2458.



General procedure for the synthesis of 3:

Ynamide **2** (0.30 mmol), 2-bromopyridine *N*-oxide (104.4 mg, 0.60 mmol), and $IPrAuNTf_2$ (13.5 mg, 0.015 mmol) were added in this order to a suspension of the indole **1** (0.45 mmol) in H_2O (3.0 mL) at room temperature. The reaction mixture was stirred at 80 °C and the progress of the reaction was monitored by TLC. The reaction typically took 1 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with H_2O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with $MgSO_4$. The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired product **3**.

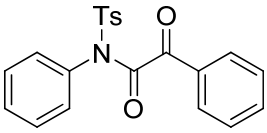
2-(1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3a)



3a

Compound **3a** was prepared in 86% yield by the reaction of indole **1a** with ynamide **2a** according to the general procedure (Table 2, entry 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.46 (t, 1H, *J* = 7.6 Hz), 7.36 (t, 2H, *J* = 7.6 Hz), 7.29 (d, 2H, *J* = 8.0 Hz), 7.23 – 7.08 (m, 7H), 7.01 – 6.97 (m, 2H), 6.91 – 6.89 (m, 2H), 6.68 (s, 1H) , 4.92 (s, 1H), 3.64 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 144.7, 137.8, 136.8, 136.1, 136.0, 130.3, 130.0, 129.5, 129.3, 129.2, 128.5, 128.4, 128.3, 127.2, 126.6, 121.7, 119.1, 118.3, 111.6, 109.2, 48.5, 32.7, 21.6; IR (neat): 2923, 2250, 1701(s), 1594, 1488, 1361, 1172, 1144, 1087, 741, 695, 563; MS (ES^+) Calculated for $[C_{30}H_{26}N_2NaO_3S]^+$: 517.1; Found: 517.1; HRMS (ES^+) Calculated for $[C_{30}H_{26}N_2NaO_3S]^+$: 517.1562; Found: 517.1564.

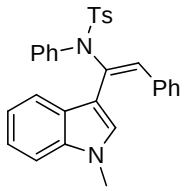
oxo-N,2-diphenyl-N-tosylacetamide (**3aa**)



3aa

This compound is known and the spectroscopic data match those reported.[16] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.91 (m, 2H), 7.75 (d, 2H, *J* = 8.0 Hz), 7.66 – 7.63 (m, 1H), 7.54 – 7.50 (m, 2H), 7.45 – 7.33 (m, 5H), 7.13 (d, 2H, *J* = 6.8 Hz), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 187.6, 166.6, 145.9, 134.6, 134.1, 133.5, 132.7, 130.6, 130.1, 129.8, 129.6, 129.5, 129.1, 128.9, 21.7.

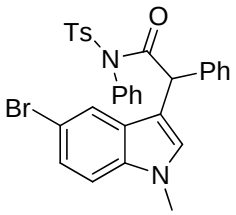
(E)-4-methyl-N-(1-(1-methyl-1H-indol-3-yl)-2-phenylvinyl)-N-phenylbenzenesulfonamide (**3ab**)



3ab

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, 2H, *J* = 8.0 Hz), 7.41 (d, 2H, *J* = 8.0 Hz), 7.27 - 7.17 (m, 8H), 7.12 -7.05 (m, 6H), 6.85 – 6.80 (m, 1H), 6.54 (s, 1H), 3.67 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 143.2, 140.1, 138.4, 136.8, 136.0, 133.8, 131.3, 129.3, 128.8, 128.6, 127.9, 127.8, 127.8, 126.9, 126.8, 125.9, 121.5, 121.2, 119.6, 111.5, 109.0, 32.9, 21.5; IR: 2958, 2921, 2849, 1596, 1491, 1472, 1351, 1163, 1090, 742, 695, 667; MS (ES^+) Calculated for $[C_{30}H_{26}N_2NaO_2S]^+$: 501.2; Found: 501.1; HRMS (ES^+) Calculated for $[C_{30}H_{26}N_2NaO_2S]^+$: 501.1613; Found: 501.1615.

2-(5-bromo-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3b)

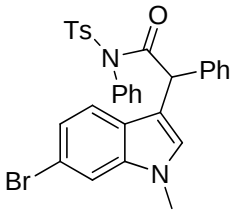


3b

Compound **3b** was prepared in 83% yield by the reaction of indole **1b** with ynamide **2a** according to the general procedure (Table 2, entry 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, 2H, *J* = 8.0 Hz), 7.54 (t, 1H, *J* = 7.2 Hz), 7.43 (t, 2H, *J* = 8.0 Hz), 7.35 (d, 2H, *J* = 8.0 Hz), 7.26 – 7.20 (m, 4H), 7.14 – 7.09 (m, 3H), 7.08 – 7.00 (m, 3H), 6.77 (s, 1H), 4.90 (s, 1H), 3.66 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 144.8, 137.4, 135.9, 135.8, 135.4, 130.3, 130.1, 129.6, 129.5, 129.3, 129.2, 128.5, 128.4, 128.2, 127.3, 124.6, 120.8, 112.6, 111.2, 110.8, 48.3, 32.9, 21.7; IR (neat): 3063, 3027, 2928, 2253, 1702(s), 1594, 1488, 1363, 1173, 1149, 1126, 1087, 910, 800, 732, 696, 652, 567; MS (ES^+)

Calculated for $[C_{30}H_{25}BrN_2NaO_3S]^+$: 595.1; Found: 595.2; HRMS (ES^+) Calculated for $[C_{30}H_{25}BrN_2NaO_3S]^+$: 595.0667; Found: 595.0672.

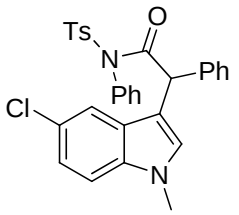
2-(6-bromo-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3c)



3c

Compound **3c** was prepared in 92% yield by the reaction of indole **1c** with ynamide **2a** according to the general procedure (Table 2, entry 3). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.0 Hz), 7.45 (t, 1H, *J* = 7.6 Hz), 7.38 – 7.33 (m, 3H), 7.28 (d, 2H, *J* = 8.0 Hz), 7.18 – 7.14 (m, 3H), 7.11 – 7.06 (m, 2H), 6.99 – 6.94 (m, 3H), 6.75 (d, 1H, *J* = 8.4 Hz), 6.63 (s, 1H), 4.87 (s, 1H), 3.57 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 144.8, 137.6, 137.5, 135.9, 135.8, 130.3, 130.0, 129.5, 129.3, 128.9, 128.4, 128.3, 127.3, 125.4, 122.4, 119.6, 115.4, 112.3, 112.0, 48.4, 32.7, 21.6; IR (neat): 2923, 2250, 1702(s), 1594, 1475, 1360, 1172, 1143, 1087, 912, 764, 747, 695, 563; MS (ES^+) Calculated for $[C_{30}H_{25}BrN_2NaO_3S]^+$: 595.1; Found: 595.1; HRMS (ES^+) Calculated for $[C_{30}H_{25}BrN_2NaO_3S]^+$: 595.0667; Found: 595.0671.

2-(5-chloro-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3d)

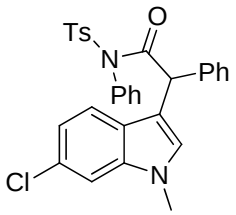


3d

Compound **3d** was prepared in 82% yield by the reaction of indole **1d** with ynamide **2a** according to the general procedure (Table 2, entry 4). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.50 – 7.47 (m, 1H), 7.41 – 7.36 (m, 2H), 7.30 (d, 2H, *J* = 8.0 Hz),

7.20 – 7.17 (m, 3H), 7.13 – 7.05 (m, 4H) , 7.00 – 6.96 (m, 2H), 6.83 (d, 1H, *J* = 1.2 Hz) , 6.73 (s, 1H), 4.85 (s, 1H) , 3.63 (s, 3H) , 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 144.8, 137.5, 136.0, 135.2, 130.4, 130.1, 129.7, 129.6, 129.3, 129.2, 128.5, 128.4, 127.5, 127.4, 125.1, 122.1, 117.8, 111.4, 110.4, 48.4, 32.9, 21.7; IR (neat): 2926, 2253, 1702(s), 1488, 1477, 1361, 1187, 1172, 1147, 1087, 795, 695, 652, 564; MS (ES^+) Calculated for $[C_{30}H_{25}ClN_2NaO_3S]^+$: 551.1; Found: 551.1; HRMS (ES^+) Calculated for $[C_{30}H_{25}ClN_2NaO_3S]^+$: 551.1172; Found: 551.1170.

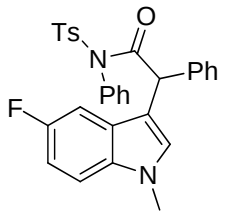
2-(6-chloro-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3e)



3e

Compound **3e** was prepared in 84% yield by the reaction of indole **1e** with ynamide **2a** according to the general procedure (Table 2, entry 5). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.4 Hz), 7.47 – 7.44 (m, 1H), 7.36 (t, 2H, *J* = 7.6 Hz), 7.29 (d, 2H, *J* = 8.0 Hz), 7.20 – 7.16 (m, 4H), 7.10 – 7.06 (m, 2H), 6.98 – 6.95 (m, 2H), 6.87 – 6.83 (m, 1H), 6.81 – 6.78 (m, 1H), 6.65 (s, 1H), 4.87 (s, 1H), 3.59 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 144.8, 137.6, 137.2, 136.0, 135.9, 130.3, 130.0, 129.6, 129.3, 129.2, 129.0, 128.5, 128.4, 127.8, 127.3, 125.1, 119.8, 119.2, 112.0, 109.3, 48.5, 32.8, 21.6; IR (neat): 2923, 1703(s), 1597, 1488, 1453, 1361, 1327, 1172, 1148, 1087, 747, 696, 568; MS (ES^+) Calculated for $[C_{30}H_{25}ClN_2NaO_3S]^+$: 551.1; Found: 551.1; HRMS (ES^+) Calculated for $[C_{30}H_{25}ClN_2NaO_3S]^+$: 551.1172; Found: 551.1181.

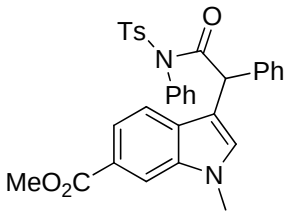
2-(5-fluoro-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3f)



3f

Compound **3f** was prepared in 79% yield by the reaction of indole **1f** with ynamide **2a** according to the general procedure except that using 1.0 equiv of indole **1f** and 1.5 equiv of ynamide **2a** (**2a** was added in two portions, 1 equiv at the beginning and the residue in 1 h later) (Table 2, entry 6). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.50 – 7.44 (m, 1H), 7.40 – 7.34 (m, 2H), 7.29 (d, 2H, *J* = 8.0 Hz), 7.21 – 7.16 (m, 3H), 7.12 – 7.06 (m, 3H), 7.02 – 6.94 (m, 2H), 6.86 (td, 1H, *J* = 2.4 Hz, *J* = 8.8 Hz), 6.71 (s, 1H), 6.51 (dd, 1H, *J* = 2.4 Hz, *J* = 9.6 Hz), 4.83 (s, 1H), 3.62 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 157.6 (d, *J* = 233.4 Hz), 144.8, 137.5, 136.0 (d, *J* = 6.5 Hz), 133.4, 130.3, 130.0, 129.9, 129.5, 129.3, 129.2, 128.5, 128.4, 127.3, 111.6, 110.1 (d, *J* = 21.5 Hz), 110.0, 109.9, 103.2 (d, *J* = 23.6 Hz), 48.5, 32.9, 21.6; IR (neat): 3060, 3029, 2923, 2850, 2250, 1702(s), 1594, 1489, 1360, 1172, 1145, 1087, 910, 695; MS (ES^+) Calculated for $[C_{30}H_{25}FN_2NaO_3S]^+$: 535.1; Found: 535.1; HRMS (ES^+) Calculated for $[C_{30}H_{25}FN_2NaO_3S]^+$: 535.1468; Found: 535.1472.

methyl 1-methyl-3-(2-(4-methyl-N-phenylphenylsulfonamido)-2-oxo-1-phenylethyl)-1H-indole-6-carboxylate (3g)

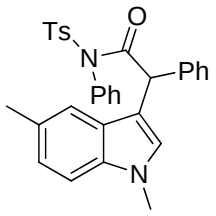


3g

Compound **3g** was prepared in 75% yield by the reaction of indole **1g** with ynamide **2a** according to the general procedure except that using 1.0 equiv of indole **1g** and 1.5 equiv of ynamide **2a** (**2a** was added in two portions, 1 equiv at the beginning and the residue in 1 h later) (Table 2, entry 7). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.92 (d, 2H, *J* =

8.4 Hz), 7.59 (dd, 1H, *J* = 1.2 Hz *J* = 8.4 Hz), 7.47 (t, 1H, *J* = 7.2 Hz), 7.37 (t, 2H, *J* = 8.0 Hz), 7.29 (d, 2H, *J* = 8.0 Hz), 7.21 – 7.16 (m, 3H), 7.08 (d, 2H, *J* = 7.6 Hz), 7.01 – 6.96 (m, 2H), 6.90 (d, 1H, *J* = 8.4 Hz), 6.85 (s, 1H), 4.93 (s, 1H), 3.90 (s, 3H), 3.70 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 167.9, 144.8, 137.4, 136.1, 135.9, 135.8, 131.6, 130.3, 130.0, 129.9, 129.6, 129.3, 128.5, 128.4, 127.3, 123.4, 120.2, 117.8, 112.2, 111.7, 99.9, 51.8, 48.4, 32.9, 21.6; IR (neat): 2923, 2253, 1709(s), 1596, 1488, 1361, 1268, 1173, 1148, 1087, 768, 745, 696, 568; MS (ES^+) Calculated for $[C_{32}H_{28}N_2NaO_5S]^+$: 575.2; Found: 575.2; HRMS (ES^+) Calculated for $[C_{32}H_{28}N_2NaO_5S]^+$: 575.1617; Found: 575.1620.

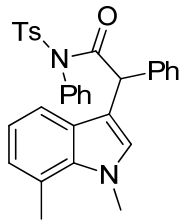
2-(1,5-dimethyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3h)



3h

Compound **3h** was prepared in 92% yield by the reaction of indole **1h** with ynamide **2a** according to the general procedure (Table 2, entry 8). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.4 Hz), 7.44 (t, 1H, *J* = 7.6 Hz), 7.33 (t, 2H, *J* = 7.6 Hz), 7.27 (d, 2H, *J* = 8.4 Hz), 7.17 – 7.14 (m, 3H), 7.10 – 7.05 (m, 3H), 7.01 – 6.97 (m, 2H), 6.95 – 6.92 (dd, 1H, *J* = 1.2 Hz, *J* = 8.0 Hz), 6.65 (s, 1H), 6.60 (s, 1H), 4.90 (s, 1H), 3.57 (s, 3H), 2.40 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 144.6, 137.9, 136.1, 136.0, 135.2, 130.3, 129.8, 129.4, 129.2, 129.1, 128.5, 128.4, 128.3, 128.2, 127.1, 126.8, 123.3, 117.8, 110.8, 108.9, 48.4, 32.7, 21.5, 21.3; IR (neat): 3060, 3024, 2917, 2856, 2253, 1702(s), 1591, 1489, 1454, 1360, 1172, 1143, 1087, 912, 742, 694, 564; MS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.2; Found: 531.2; HRMS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.1718; Found: 531.1720.

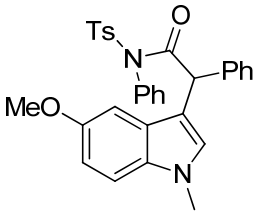
2-(1,7-dimethyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3i)



3i

Compound **3i** was prepared in 85% yield by the reaction of indole **1i** with ynamide **2a** according to the general procedure except with 1.05 equiv of indole **1i** (Table 2, entry 9). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.46 (t, 1H, *J* = 7.2 Hz), 7.36 (t, 2H, *J* = 8.0 Hz), 7.29 (d, 2H, *J* = 8.0 Hz), 7.18 – 7.14 (m, 3H), 7.10 (d, 2H, *J* = 7.6 Hz), 6.99 – 6.96 (m, 2H), 6.80 (d, 1H, *J* = 6.8 Hz), 6.76 – 6.67 (m, 2H), 6.57 (s, 1H), 4.87 (s, 1H), 3.90 (s, 3H), 2.67 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 144.6, 137.8, 136.1, 136.0, 135.5, 130.3, 129.9, 129.5, 129.3, 129.2, 128.4, 128.3, 127.6, 127.1, 124.4, 121.2, 119.3, 116.3, 111.3, 48.4, 36.7, 21.6, 19.5; IR (neat): 2920, 1703(s), 1597, 1488, 1454, 1360, 1275, 1259, 1172, 1147, 1124, 1087, 763, 748, 695, 564; MS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.2; Found: 531.2; HRMS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.1718; Found: 531.1722.

2-(5-methoxy-1-methyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3j)

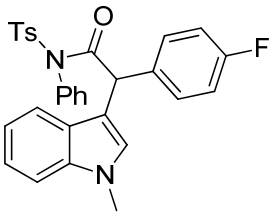


3j

Compound **3j** was prepared in 94% yield by the reaction of indole **1j** with ynamide **2a** according to the general procedure except using H_2O/DCE = 10/1 as the solvent (Table 2, entry 10). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, 2H, *J* = 8.4 Hz), 7.43 (t, 1H, *J* = 7.2 Hz), 7.33 (t, 2H, *J* = 7.6 Hz), 7.25 (d, 2H, *J* = 8.0 Hz), 7.19 – 7.14 (m, 3H), 7.11 – 7.06 (m, 3H), 7.02 – 6.99 (m, 2H), 6.78 (dd, 1H, *J* = 2.0 Hz, *J* = 8.8 Hz), 6.60 (s, 1H), 6.37 (d, 1H, *J* = 2.0 Hz), 4.86 (s, 1H), 3.64 (s, 3H), 3.56 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz,

$CDCl_3$) δ 171.8, 153.7, 144.6, 137.7, 136.0, 135.9, 132.1, 130.2, 129.8, 129.4, 129.1, 128.8, 128.4, 128.3, 127.1, 126.8, 111.7, 110.7, 109.9, 100.3, 55.6, 48.4, 32.7, 21.5; IR (neat): 2920, 2830, 1702(s), 1591, 1491, 1453, 1361, 1212, 1172, 1145, 1087, 695, 564; MS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_4S]^+$: 547.2; Found: 547.1; HRMS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_4S]^+$: 547.1667; Found: 547.1663.

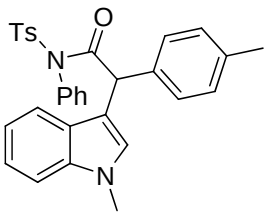
2-(4-fluorophenyl)-2-(1-methyl-1H-indol-3-yl)-N-phenyl-N-tosylacetamide (3k)



3k

Compound **3k** was prepared in 84% yield by the reaction of indole **1a** with ynamide **2b** according to the general procedure except with 1.05 equiv of indole **1a** (Table 2, entry 11). ^1H NMR (400 MHz, $CDCl_3$) δ 7.93 – 7.90 (m, 2H), 7.48 – 7.44 (m, 1H), 7.36 (t, 2H, *J* = 7.5 Hz), 7.31 – 7.28 (m, 2H), 7.23 – 7.20 (m, 1H), 7.16 – 7.12 (m, 1H), 7.10 – 7.07 (m, 2H), 6.99 – 6.95 (m, 2H), 6.91 – 6.83 (m, 4H), 6.67 (s, 1H), 4.90 (s, 1H), 3.65 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 162.1 (d, *J* = 244.5 Hz), 144.8, 136.9, 136.1 (d, *J* = 8.4 Hz), 133.7, 130.3, 130.2 (d, *J* = 8.1 Hz), 130.1, 129.6, 129.4 129.3, 128.2, 126.5, 121.9, 119.3, 118.3, 115.2 (d, *J* = 21.3 Hz), 111.5, 109.4, 99.9, 47.8, 32.8, 21.7; IR (neat): 3055, 2923, 2850, 1704(s), 1597, 1507, 1488, 1361, 1225, 1173, 1145, 1087, 742, 694, 565; MS (ES^+) Calculated for $[C_{30}H_{25}FN_2NaO_3S]^+$: 535.1; Found: 535.1; HRMS (ES^+) Calculated for $[C_{30}H_{25}FN_2NaO_3S]^+$: 535.1468; Found: 535.1475.

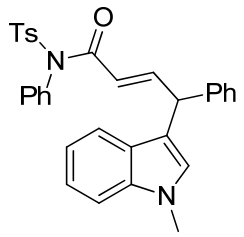
2-(1-methyl-1H-indol-3-yl)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (3l)



3l

Compound **3l** was prepared in 79% yield by the reaction of indole **1a** with ynamide **2c** according to the general procedure except with 1.05 equiv of indole **1a** (Table 2, entry 12). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.46 (t, 1H, *J* = 7.2 Hz), 7.36 (t, 2H, *J* = 8.0 Hz), 7.29 (d, 2H, *J* = 8.4 Hz), 7.22 – 7.19 (m, 1H), 7.15 – 7.07 (m, 3H), 6.98 (d, 2H, *J* = 8.0 Hz), 6.91 – 6.86 (m, 4H), 6.68 (s, 1H), 4.88 (s, 1H), 3.65 (s, 3H), 2.44 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 144.6, 136.8, 136.2, 136.1, 134.9, 130.4, 129.9, 129.5, 129.3, 129.2, 129.1, 128.4, 128.3, 126.6, 121.7, 119.1, 118.3, 111.9, 109.2, 48.2, 32.7, 21.6, 21.0; IR (neat): 2920, 2253, 1704(s), 1591, 1488, 1361, 1172, 1143, 1118, 1087, 912, 817, 740, 694, 565; MS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.2; Found: 531.2; HRMS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.1718; Found: 531.1716.

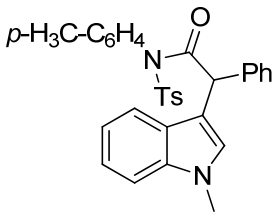
(E)-4-(1-methyl-1H-indol-3-yl)-N,4-diphenyl-N-tosylbut-2-enamide (3m)



3m

Compound **3m** was prepared in 88% yield by the reaction of indole **1a** with ynamide **2d** according to the general procedure (Table 2, entry 13). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, 2H, *J* = 8.0 Hz), 7.42 – 7.29 (m, 6H), 7.25 – 7.13 (m, 7H), 7.12 – 7.03 (m, 3H), 6.96 – 6.92 (m, 1H), 6.58 (s, 1H), 5.44 (dd, 1H, *J* = 1.2 Hz *J* = 15.2 Hz), 4.83 (d, 1H, *J* = 7.6 Hz), 3.66 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.3, 150.8, 144.7, 140.9, 137.2, 136.2, 135.9, 130.2, 129.6, 129.5, 129.3, 129.2, 128.4, 128.1, 127.1, 126.7, 122.0, 121.7, 119.2, 119.0, 114.6, 109.2, 45.4, 32.6, 21.6; IR (neat): 3057, 3024, 2926, 2856, 2253, 1691(s), 1633, 1597, 1488, 1363, 1329, 1260, 1187, 1173, 1162, 1088, 908, 737, 696, 575; MS (ES^+) Calculated for $[C_{32}H_{28}N_2NaO_3S]^+$: 543.2; Found: 543.2; HRMS (ES^+) Calculated for $[C_{32}H_{28}N_2NaO_3S]^+$: 543.1718; Found: 543.1718.

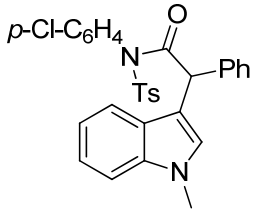
2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-(p-tolyl)-N-tosylacetamide (3n)



3n

Compound **3n** was prepared in 88% yield by the reaction of indole **1a** with ynamide **2e** according to the general procedure (Table 2, entry 14). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.0 Hz), 7.27 (d, 2H, *J* = 8.0 Hz), 7.22 – 7.11 (m, 7H), 7.04 – 6.95 (m, 4H), 6.94 – 6.87 (s, 2H), 6.68 (s, 1H), 4.96 (s, 1H), 3.62 (s, 3H), 2.42 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 144.5, 140.2, 137.9, 136.8, 136.1, 133.4, 130.2, 129.9, 129.3, 129.2, 128.5, 128.3, 127.1, 126.6, 121.7, 118.9, 118.3, 111.7, 109.2, 48.4, 32.6, 21.6, 21.2; IR (neat): 3054, 2920, 2844, 1702(s), 1596, 1360, 1331, 1171, 1143, 1087, 898, 764, 746, 698, 669, 561; MS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.2; Found: 531.2; HRMS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.1718; Found: 531.1716.

N-(4-chlorophenyl)-2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-tosylacetamide (3o)

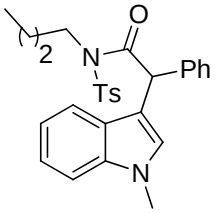


3o

Compound **3o** was prepared in 97% yield by the reaction of indole **1a** with ynamide **2f** according to the general procedure (Table 2, entry 15). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, 2H, *J* = 8.4 Hz), 7.34 – 7.27 (m, 4H), 7.21 – 7.12 (m, 5H), 7.03 – 6.97 (m, 4H), 6.94 – 6.91 (m, 2H), 6.67 (s, 1H), 4.92 (s, 1H), 3.64 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 144.9, 137.6, 136.8, 136.2, 135.7, 134.5, 131.6, 129.7, 129.3,

129.2, 128.5, 128.3, 127.3, 126.5, 121.9, 119.2, 118.2, 111.4, 109.3, 48.7, 32.7, 21.6; IR (neat): 3060, 3029, 2926, 2850, 1705(s), 1597, 1487, 1362, 1172, 1144, 1088, 1011, 912, 744, 565; MS (ES^+) Calculated for $[C_{30}H_{25}ClN_2NaO_3S]^+$: 551.1; Found: 551.1; HRMS (ES^+) Calculated for $[C_{30}H_{25}ClN_2NaO_3S]^+$: 551.1172; Found: 551.1180.

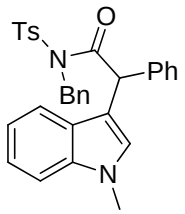
2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-propyl-N-tosylacetamide (3p)



3p

Compound **3p** was prepared in 93% yield by the reaction of indole **1a** with ynamide **2g** according to the general procedure (Table 2, entry 16). ^1H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, 2H, *J* = 8.4 Hz), 7.28 – 7.14 (m, 8H), 7.09 (d, 2H, *J* = 8.4 Hz), 7.01 – 6.95 (m, 1H), 6.57 (s, 1H), 5.83 (s, 1H), 3.92 – 3.75 (m, 2H), 3.62 (s, 3H), 2.32 (s, 3H), 1.81 – 1.71 (m, 2H), 1.40 – 1.32 (m, 2H), 0.92 (t, 3H, *J* = 7.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 144.2, 138.2, 136.9, 136.6, 129.2, 128.6, 128.4, 128.1, 127.8, 127.1, 126.7, 121.8, 119.2, 118.7, 111.6, 109.2, 48.1, 47.0, 32.6, 32.5, 21.4, 20.0, 13.6; IR (neat): 3060, 2926, 2853, 1701(s), 1597, 1488, 1466, 1453, 1360, 1187, 1172, 1145, 1087, 736, 695, 652, 563; MS (ES^+) Calculated for $[C_{28}H_{30}N_2NaO_3S]^+$: 497.2; Found: 497.2; HRMS (ES^+) Calculated for $[C_{28}H_{30}N_2NaO_3S]^+$: 497.1875; Found: 497.1877.

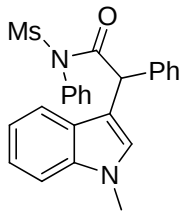
N-benzyl-2-(1-methyl-1H-indol-3-yl)-2-phenyl-N-tosylacetamide (3q)



3q

Compound **3q** was prepared in 87% yield by the reaction of indole **1a** with ynamide **2h** according to the general procedure (Table 2, entry 17). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, 2H, *J* = 8.0 Hz), 7.40 – 7.32 (m, 5H), 7.21 – 7.12 (m, 7H), 7.00 (d, 1H, *J* = 8.0 Hz), 6.95 – 6.90 (m, 3H), 6.41 (s, 1H), 5.57 (s, 1H), 5.16 (d, 1H, *J* = 16.8 Hz), 4.99 (d, 1H, *J* = 16.8 Hz), 3.56 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 144.4, 137.7, 137.0, 136.9, 136.1, 129.2, 128.9, 128.5, 128.4, 128.3, 127.9, 127.8, 127.1, 127.0, 126.6, 121.9, 119.2, 118.5, 110.9, 109.2, 49.7, 48.2, 32.6, 21.5; IR (neat): 3063, 3027, 2926, 2850, 2250, 1702(s), 1597, 1495, 1454, 1351, 1167, 1113, 912, 814, 742, 700, 664, 545; MS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.2; Found: 531.2; HRMS (ES^+) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.1718; Found: 531.1718.

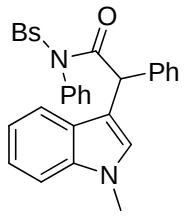
2-(1-methyl-1H-indol-3-yl)-N-(methylsulfonyl)-N,2-diphenylacetamide (3r)



3r

Compound **3r** was prepared in 70% yield by the reaction of indole **1a** with ynamide **2i** according to the general procedure (Table 2, entry 18). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (t, 1H, *J* = 7.6 Hz), 7.40 (t, 2H, *J* = 7.6 Hz), 7.23 – 7.25 (m, 4H), 7.23 – 7.07 (m, 6H), 7.06 – 6.97 (m, 2H), 5.06 (s, 1H), 3.75 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.5, 137.7, 136.8, 135.2, 130.1, 129.6, 128.5, 128.4, 128.3, 127.4, 126.5, 121.8, 119.2, 118.2, 111.3, 109.3, 48.3, 42.2, 32.8; IR (neat): 2958, 2923, 2870, 1696, 1596, 1494, 1467, 1450, 1290, 1080, 908, 811, 739, 698, 580; MS (ES^+) Calculated for $[C_{24}H_{22}N_2NaO_3S]^+$: 441.1; Found: 441.1; HRMS (ES^+) Calculated for $[C_{24}H_{22}N_2NaO_3S]^+$: 441.1249; Found: 441.1253.

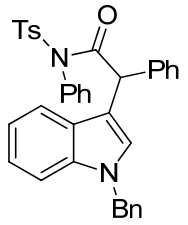
N-((4-bromophenyl)sulfonyl)-2-(1-methyl-1H-indol-3-yl)-N,2-diphenylacetamide (3s)



3s

Compound **3s** was prepared in 90% yield by the reaction of indole **1a** with ynamide **2j** according to the general procedure (Table 2, entry 19). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.67 (d, 2H, *J* = 8.4 Hz), 7.51 (t, 1H, *J* = 7.6 Hz), 7.40 (t, 2H, *J* = 7.6 Hz), 7.29 – 7.15 (m, 5H), 7.10 (d, 2H, *J* = 8.4 Hz), 7.06 – 6.98 (m, 2H), 6.97 – 6.88 (m, 2H), 6.68 (s, 1H), 4.94 (s, 1H), 3.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 137.7, 137.5, 136.7, 135.6, 131.9, 130.8, 130.2, 129.6, 128.9, 128.4, 128.3, 128.2, 127.3, 126.4, 121.2, 119.2, 118.1, 111.3, 109.3, 48.5, 32.7; IR (neat): 3063, 2926, 2254, 1703, 1598, 1530, 1489, 1470, 1360, 1326, 1280, 1050, 1030, 931, 839, 625; MS (ES^+) Calculated for $[C_{29}H_{23}BrN_2NaO_3S]^+$: 581.1; Found: 581.0; HRMS (ES^+) Calculated for $[C_{29}H_{23}BrN_2NaO_3S]^+$: 581.0510; Found: 581.0512.

2-(1-benzyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3t)

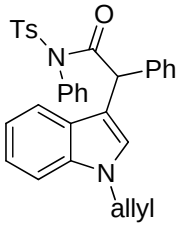


3t

Compound **3t** was prepared in 86% yield by the reaction of indole **1k** with ynamide **2a** according to the general procedure (Table 2, entry 20). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, 2H, *J* = 8.4 Hz), 7.43 (t, 1H, *J* = 7.6 Hz), 7.33 (t, 2H, *J* = 7.2 Hz), 7.29 – 7.20 (m, 5H), 7.19 – 7.13 (m, 4H), 7.11 – 7.05 (m, 3H), 7.03 – 6.99 (m, 4H), 6.93 – 6.85 (m, 2H), 6.76 (s, 1H), 5.17 (s, 2H), 4.95 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 144.6, 137.7, 137.4, 136.5, 136.1, 136.0, 130.3, 129.9, 129.5, 129.3, 129.2, 128.7, 128.6, 128.4, 127.8, 127.6, 127.2, 126.8, 126.5, 121.9, 119.4, 118.6, 112.2, 109.8, 50.0,

48.6, 21.6; IR (neat): 3060, 3027, 2923, 2853, 1702(s), 1596, 1488, 1466, 1453, 1360, 1305, 1264, 1187, 1172, 1145, 1087, 812, 738, 695, 652, 563; MS (ES^+) Calculated for $[C_{36}H_{30}N_2NaO_3S]^+$: 593.2; Found: 593.2; HRMS (ES^+) Calculated for $[C_{36}H_{30}N_2NaO_3S]^+$: 593.1875; Found: 593.1877.

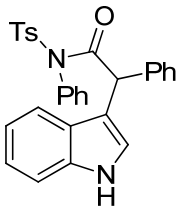
2-(1-allyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3u)



3u

Compound **3u** was prepared in 82% yield by the reaction of indole **1l** with ynamide **2a** according to the general procedure (Table 2, entry 21). ^1H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.0 Hz), 7.44 (t, 1H, *J* = 7.6 Hz), 7.34 (t, 2H, *J* = 7.6 Hz), 7.27 (d, 2H, *J* = 8.0 Hz), 7.21 – 7.14 (m, 4H), 7.11 – 7.07 (m, 3H), 7.02 – 6.98 (m, 2H), 6.91 – 6.86 (m, 2H), 6.71 (s, 1H), 5.94 – 5.83 (m, 1H), 5.15 – 5.11 (m, 1H), 5.02 – 4.97 (m, 1H), 4.93 (s, 1H), 4.56 (d, 2H, *J* = 5.2 Hz), 2.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 144.6, 137.7, 136.2, 136.1, 136.0, 133.2, 130.3, 129.9, 129.5, 129.3, 129.2, 128.5, 128.3, 127.3, 127.2, 126.8, 121.7, 119.2, 118.4, 117.2, 111.9, 109.6, 48.7, 48.5, 21.9; IR (neat): 3027, 3060, 2923, 2853, 2253, 1706(s), 1597, 1487, 1471, 1363, 1167, 1145, 1086, 912, 738, 691; MS (ES^+) Calculated for $[C_{32}H_{28}N_2NaO_3S]^+$: 543.2; Found: 543.1; HRMS (ES^+) Calculated for $[C_{32}H_{28}N_2NaO_3S]^+$: 543.1718; Found: 543.1718.

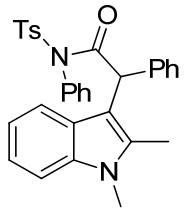
2-(1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3v)



3v

Compound **3v** was prepared in 70% yield by the reaction of 1*H*-indole with ynamide **2a** according to the general procedure except with 1.05 equiv of 1*H*-indole (Table 2, entry 22). ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.9 (s, 1H), 7.84 (d, 2H, J = 8.0 Hz), 7.54 – 7.38 (m, 5H), 7.30 (d, 1H, J = 8.0 Hz), 7.23 – 7.10 (m, 5H), 7.05 – 6.91 (m, 3H), 6.79 (s, 2H), 6.70 (s, 1H), 4.88 (s, 1H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 171.2, 145.0, 137.9, 136.1, 135.7, 135.4, 130.2, 130.1, 129.6, 129.5, 128.7, 128.4, 128.3, 127.1, 125.7, 123.9, 121.4, 118.8, 117.8, 111.6, 111.3, 48.1, 21.2; IR (neat): 3354(bs), 2918, 2849, 1686, 1658, 1632, 1486, 1469, 1454, 1423, 1369, 1259, 1173, 1162, 1085, 763, 747, 691, 564; MS (ES$^+$) Calculated for $[C_{29}H_{24}N_2NaO_3S]^+$: 503.1; Found: 503.1; HRMS (ES$^+$) Calculated for $[C_{29}H_{24}N_2NaO_3S]^+$: 503.1405; Found: 503.1401.

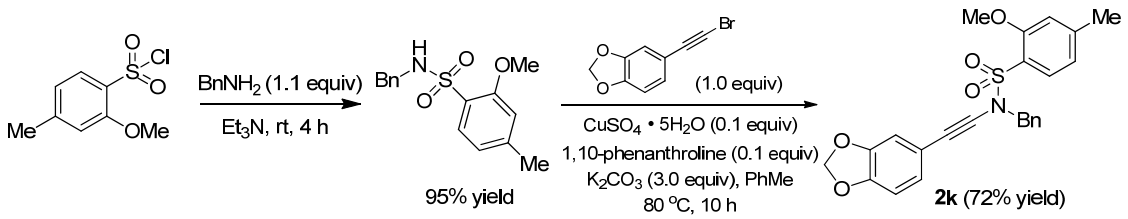
2-(1,2-dimethyl-1H-indol-3-yl)-N,2-diphenyl-N-tosylacetamide (3w)



3w

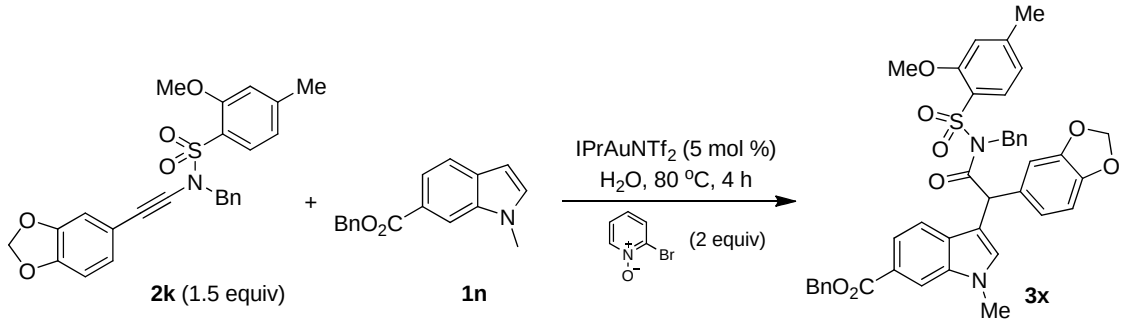
Compound **3w** was prepared in 76% yield by the reaction of indole **1m** with ynamide **2a** according to the general procedure (eq 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, 2H, J = 8.4 Hz), 7.40 – 7.35 (m, 1H), 7.27 – 7.12 (m, 8H), 7.10 – 7.05 (m, 3H), 7.00 (d, 1H, J = 8.0 Hz), 6.95 – 6.74 (m, 3H), 4.94 (s, 1H), 3.50 (s, 3H), 2.43 (s, 3H), 1.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 144.6, 138.5, 136.3, 136.1, 135.9, 135.1, 130.3, 129.6, 129.4, 129.2, 128.9, 128.7, 128.1, 126.8, 120.5, 119.3, 118.6, 108.4, 106.1, 49.2, 29.4, 21.6, 9.7; IR (neat): 3060, 3029, 2917, 2853, 1701(s), 1594, 1487, 1471, 1365, 1187, 1173, 1149, 1087, 740, 696, 562; MS (ES$^+$) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.2; Found: 531.2; HRMS (ES$^+$) Calculated for $[C_{31}H_{28}N_2NaO_3S]^+$: 531.1718; Found: 531.1719.

N-(benzo[d][1,3]dioxol-5-ylethynyl)-N-benzyl-2-methoxy-4-methylbenzenesulfonamide (2k)



Compound **2k** was prepared in 68% yield (2 steps) according to the known procedure.[17] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, 1H, J = 8.0 Hz), 7.35 – 7.26 (m, 5H), 6.85 (d, 1H, J = 8.0 Hz), 6.79 (s, 1H), 6.73 – 6.60 (m, 3H), 5.87 (s, 2H), 4.70 (s, 2H), 3.85 (s, 3H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.9, 147.2, 147.8, 146.8, 135.4, 131.7, 128.6, 128.3, 127.9, 125.7, 123.0, 120.9, 116.2, 112.9, 111.4, 108.1, 101.0, 81.0, 70.9, 55.9, 55.7, 21.9; IR (neat): 2922, 2853, 2253, 1704(s), 1600, 1487, 1345, 1285, 1250, 1174, 1160, 1144, 1036, 932, 747; MS (ES^+) Calculated for $[C_{24}H_{21}NNaO_5S]^+$: 458.1; Found: 458.1; HRMS (ES^+) Calculated for $[C_{24}H_{21}NNaO_5S]^+$: 458.1038; Found: 458.1040.

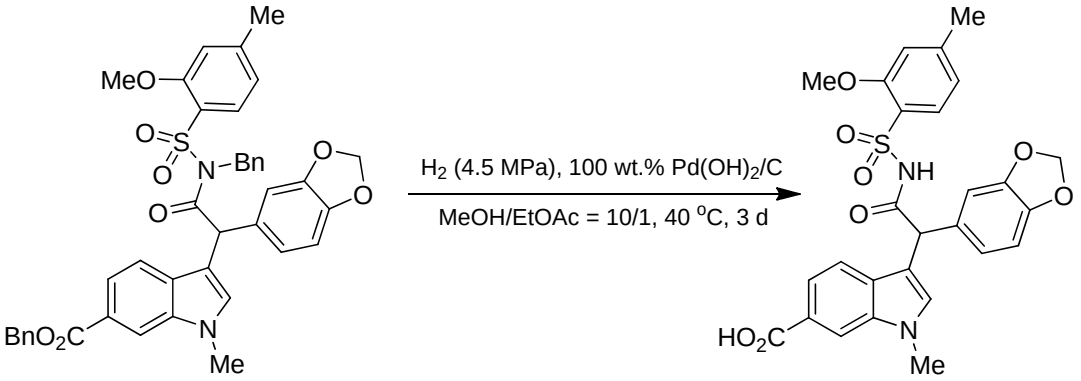
benzyl 3-(1-(benzo[d][1,3]dioxol-5-yl)-2-(N-benzyl-2-methoxy-4-methylphenylsulfonamido)-2-oxoethyl)-1-methyl-1H-indole-6-carboxylate (3x)



Compound **3x** was prepared in 65% yield by the reaction of indole **1n** with ynamide **2k** according to the general procedure except that using 1.0 equiv of indole **1n** and 1.5 equiv of ynamide **2k** (**2k** was added in two portions, 1 equiv at the beginning and the residue in 1 h later) (Scheme 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.96 (d, 1H, J = 8.0

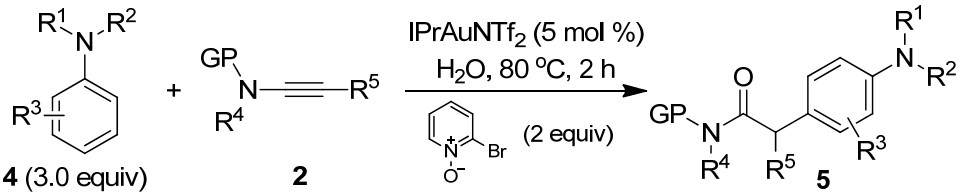
Hz), 7.67 (dd, 1H, *J* = 1.2 Hz, *J* = 8.4 Hz), 7.47 – 7.27 (m, 10H), 7.11 – 7.02 (m, 1H), 6.81 (d, 1H, *J* = 7.6 Hz), 6.69 (s, 1H), 6.67 – 6.55 (m, 2H), 6.44 (s, 2H), 5.85 (dd, 2H, *J* = 1.2 Hz, *J* = 5.2 Hz), 5.38 (s, 2H), 5.04 (s, 2H), 3.67 (s, 3H), 3.55 (s, 3H), 2.33 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 167.4, 158.2, 156.5, 147.6, 147.1, 146.6, 137.4, 136.4, 136.2, 131.3, 128.5, 128.1, 128.0, 121.6, 121.4, 120.4, 112.4, 111.7, 109.1, 107.9, 100.9, 66.4, 55.7, 50.3, 47.7, 32.9, 21.9; IR (neat): 3357, 2920, 2850, 1704(s), 1600, 1487, 1345, 1239, 1204, 1036, 929, 746; MS (ES^+) Calculated for $[C_{41}H_{36}N_2NaO_8S]^+$: 739.2; Found: 739.2; HRMS (ES^+) Calculated for $[C_{41}H_{36}N_2NaO_8S]^+$: 739.2090; Found: 739.2097.

3-(1-(benzo[d][1,3]dioxol-5-yl)-2-(2-methoxy-4-methylphenylsulfonamido)-2-oxoethyl)-1-methyl-1H-indole-6-carboxylic acid (3y)



3x **3y**

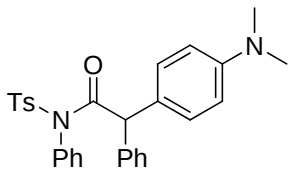
Compound **3y** was prepared in 95% yield according to the known procedure[18] except that catalyst ($Pd(OH)_2$/C) was added in two portions, 50 wt. % at the beginning and another 50 wt. % in 2 d later). This compound is known and the spectroscopic data match those reported.[8]. ^{1}H NMR (400 MHz, CD_3OD) δ 7.98 (s, 1H), 7.80 (s, 1H), 7.68 (d, 1H, *J* = 8.0 Hz), 7.51 (d, 1H, *J* = 8.4 Hz), 7.18 (d, 1H, *J* = 8.4 Hz), 6.87 (s, 1H), 6.78 (d, 1H, *J* = 8.4 Hz), 6.67 (s, 1H), 6.61 (s, 2H), 6.56 (s, 1H), 5.80 (d, 2H, *J* = 5.2 Hz), 5.02 (s, 1H), 3.68 (s, 3H), 3.39 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, DMSO) δ 171.2, 170.0, 169.0, 157.3, 148.1, 147.2, 136.6, 132.9, 132.4, 131.8, 130.5, 124.5, 124.2, 122.4, 121.4, 120.6, 118.9, 114.0, 112.7, 112.5, 109.3, 108.9, 101.8, 56.6, 48.5, 33.4, 22.2; IR (neat): 2917, 2849, 1722, 1667, 1597, 1435, 1404, 1330, 1276, 1102, 1079, 756; MS (ES^+) Calculated for $[C_{41}H_{36}N_2NaO_8S]^+$: 559.1; Found: 559.1; HRMS (ES^+) Calculated for $[C_{41}H_{36}N_2NaO_8S]^+$: 559.1151; Found: 559.1151.



General procedure for the synthesis of 5:

Ynamide **2** (0.30 mmol), 2-bromopyridine *N*-oxide (104.4 mg, 0.60 mmol), and $IPrAuNTf_2$ (13.5 mg, 0.015 mmol) were added in this order to a suspension of the tertiary aniline **4** (0.90 mmol) in H_2O (3.0 mL) at room temperature. The reaction mixture was stirred at 80 °C and the progress of the reaction was monitored by TLC. The reaction typically took 2 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with H_2O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with $MgSO_4$. The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired product **5**.

2-(4-(dimethylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5a)

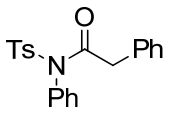


5a

Compound **5a** was prepared in 89% yield by the reaction of aniline **4a** with ynamide **2a** according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.47 (t, 1H, *J* = 7.6 Hz), 7.39 (t, 2H, *J* = 7.6 Hz), 7.31 (d, 2H, *J* = 4 Hz), 7.23 – 7.11 (m, 3H), 7.04 (d, 2H, *J* = 7.6 Hz), 6.97 – 6.88 (m, 2H), 6.77 (d, 2H, *J* = 8.8 Hz), 6.55 (d, 2H, *J* = 8.8 Hz), 4.60 (s, 1H), 2.89 (s, 6H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 149.7, 144.6, 138.6, 136.1, 136.0, 130.5, 129.8, 129.4, 129.3, 129.2, 129.1, 128.6,

128.3, 127.0, 125.1, 112.4, 56.1, 40.4, 21.6; IR (neat): 2918(bs), 2849, 1703, 1612, 1520, 1488, 1356, 1171, 1087, 694, 567, 549; MS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.2; Found: 507.1; HRMS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.1718; Found: 507.1721.

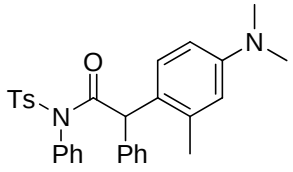
N,2-diphenyl-N-tosylacetamide (3ac)



3ac

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.4 Hz), 7.51 – 7.41 (m, 3H), 7.32 (d, 2H, *J* = 8.0 Hz), 7.20 – 7.16 (m, 5H), 6.90 – 6.87 (m, 2H), 3.38 (s, 2H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.1, 144.9, 136.1, 136.0, 132.9, 130.3, 130.0, 129.7, 129.3, 129.2, 129.1, 128.4, 127.1, 43.4, 21.6.

2-(4-(dimethylamino)-2-methylphenyl)-N,2-diphenyl-N-tosylacetamide (5b)

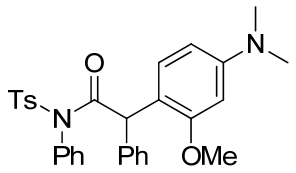


5b

Compound **5b** was prepared in 90% yield by the reaction of aniline **4b** with ynamide **2a** according to the general procedure (Table 3, entry 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, 2H, *J* = 8.4 Hz), 7.46 (t, 1H, *J* = 7.6 Hz), 7.42 – 7.31 (m, 4H), 7.24 – 7.15 (m, 3H), 7.05 – 6.91 (m, 4H), 6.85 (d,1H, *J* = 8.4 Hz), 6.46 (dd, 1H, *J* = 8.4 Hz, *J* = 2.4 Hz), 6.39 (d, 1H, *J* = 2.4 Hz), 4.73 (s, 1H), 2.91 (s, 6H), 2.46 (s, 3H), 1.52 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 149.7, 144.5, 137.3, 136.8, 136.0, 135.8, 130.3, 129.6, 129.2, 129.1, 128.6, 128.1, 126.9, 123.5, 114.3, 110.0, 53.2, 40.3, 21.5, 19.3; IR (neat): 3063(bs), 2928, 2850, 2253, 1703, 1610, 1511, 1488, 1359, 1187, 1086, 808, 731, 695, 584; MS

(ES$^+$) Calculated for $[C_{30}H_{30}N_2NaO_3S]^+$: 521.2; Found: 521.2; HRMS (ES$^+$) Calculated for $[C_{30}H_{30}N_2NaO_3S]^+$: 521.1875; Found: 521.1871.

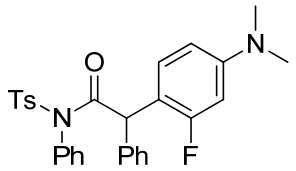
2-(4-(dimethylamino)-2-methoxyphenyl)-N,2-diphenyl-N-tosylacetamide (5c)



5c

Compound **5c** was prepared in 88% yield by the reaction of aniline **4c** with ynamide **2a** according to the general procedure (Table 3, entry 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, 2H, *J* = 8.4 Hz), 7.49 – 7.29 (m, 5H,), 7.25 – 7.16 (m, 3H), 7.14 – 6.80 (m, 4H), 6.47 (d, 1H, *J* = 8.4 Hz), 6.18 – 6.05 (m, 2H), 4.87 (s, 1H), 3.57 (s, 3H), 2.89 (s, 6H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.4, 156.9, 151.2, 144.3, 136.3, 136.0, 130.4, 129.5, 129.4, 129.2, 129.0, 128.9, 128.7, 127.0, 115.5, 104.3, 95.5, 54.7, 50.5, 40.5, 21.5; IR (neat): 3448(bs), 2918, 2849, 1704, 1614, 1448, 1452, 1275, 1260, 1143, 1088, 1031, 764, 695, 572; MS (ES$^+$) Calculated for $[C_{30}H_{30}N_2NaO_4S]^+$: 537.2; Found: 537.2; HRMS (ES$^+$) Calculated for $[C_{30}H_{30}N_2NaO_4S]^+$: 537.1824; Found: 537.1825.

2-(4-(dimethylamino)-2-fluorophenyl)-N,2-diphenyl-N-tosylacetamide (5d)

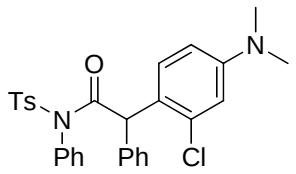


5d

Compound **5d** was prepared in 75% yield by the reaction of aniline **4d** with ynamide **2a** according to the general procedure (Table 3, entry 3). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, 2H, *J* = 8.4 Hz), 7.47 (t, 1H, *J* = 7.6 Hz), 7.42 – 7.29 (m, 4H), 7.27 – 7.17 (m, 3H), 7.13 – 6.97 (m, 2H), 6.95 – 6.88 (m, 2H), 6.75 (t, 1H, *J* = 8.8 Hz), 6.31 (dd, 1H, *J* = 8.8 Hz, *J* = 2.4 Hz), 6.25 (dd, 1H, *J* = 8.8 Hz, *J* = 2.4 Hz), 4.90 (s, 1H), 2.87 (s, 6H), 2.46 (s,

3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 160.8 (d, *J* = 241.4 Hz), 151.2 (d, *J* = 10.8 Hz), 144.5, 136.3, 136.0, 135.7, 130.2, 130.1 (d, *J* = 5.5 Hz), 129.8, 129.2, 129.1, 129.0, 128.8, 128.4, 127.4, 112.1 (d, *J* = 15.4 Hz), 107.7 (d, *J* = 2.0 Hz), 98.6 (d, *J* = 26.4 Hz), 49.2 (d, *J* = 2.6 Hz), 40.1, 21.5; IR (neat): 3340(bs), 2918, 2849, 1705, 1630, 1590, 1521, 1480, 1450, 1368, 1187, 1145, 1030, 978, 659, 570; MS (ES^+) Calculated for $[C_{29}H_{27}FN_2NaO_3S]^+$: 525.2; Found: 525.1; HRMS (ES^+) Calculated for $[C_{29}H_{27}FN_2NaO_3S]^+$: 525.1624; Found: 525.1628.

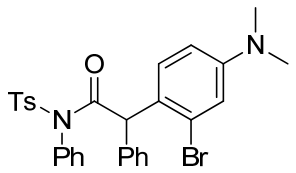
2-(2-chloro-4-(dimethylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5e)



5e

Compound **5e** was prepared in 65% yield by the reaction of aniline **4e** with ynamide **2a** according to the general procedure (Table 3, entry 4). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, 2H, *J* = 8.4 Hz), 7.44 (t, 1H, *J* = 7.6 Hz), 7.41– 7.30 (m, 4H), 7.26 – 7.15 (m, 4H), 6.98 – 6.81 (m, 3H), 6.75 (d, 1H, *J* = 8.4 Hz), 6.56 (d, 1H, *J* = 2.8 Hz), 6.43 (dd, 1H, *J* = 8.8 Hz, *J* = 2.4 Hz), 5.01 (s, 1H), 2.87 (s, 6H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 150.3, 144.5, 136.5, 136.1, 135.5, 134.4, 130.5, 130.3, 129.8, 129.3, 129.2, 129.0, 128.4, 122.8, 127.3, 112.4, 110.7, 53.6, 40.1, 21.6; IR (neat): 2918(bs), 2849, 1704, 1607, 1511, 1488, 1452, 1358, 1196, 1172, 1145, 1086, 694, 565, 549; MS (ES^+) Calculated for $[C_{29}H_{27}ClN_2NaO_3S]^+$: 541.1; Found: 541.1; HRMS (ES^+) Calculated for $[C_{29}H_{27}ClN_2NaO_3S]^+$: 541.1329; Found: 541.1327.

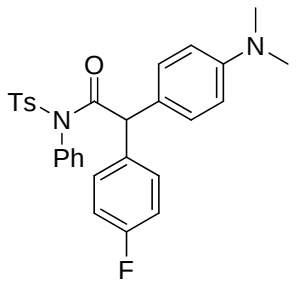
2-(2-bromo-4-(dimethylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5f)



5f

Compound **5f** was prepared in 63% yield by the reaction of aniline **4f** with ynamide **2a** according to the general procedure (Table 3, entry 5). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, 2H, *J* = 8.4 Hz), 7.44 (t, 1H, *J* = 7.6 Hz), 7.41– 7.27 (m, 4H), 7.25 – 7.14 (m, 3H), 7.11 – 6.83 (m, 4H), 6.82 – 6.72 (m, 2H), 6.49 (dd, 1H, *J* = 8.8 Hz, *J* = 2.4 Hz), 5.00 (s, 1H), 2.87 (s, 6H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 150.3, 144.6, 136.7, 136.0, 135.5, 130.7, 130.4, 129.8, 129.3, 129.2, 129.0, 128.4, 122.4, 127.2, 125.6, 124.3, 115.6, 111.2, 56.1, 40.1, 21.6; IR (neat): 2918(bs), 2851, 1714, 1617, 1508, 1468, 1450, 1356, 1186, 1152, 1145, 1066, 698, 564, 546; MS (ES^+) Calculated for $[C_{29}H_{27}BrN_2NaO_3S]^+$: 585.1; Found: 585.1; HRMS (ES^+) Calculated for $[C_{29}H_{27}BrN_2NaO_3S]^+$: 585.0823; Found: 585.0825.

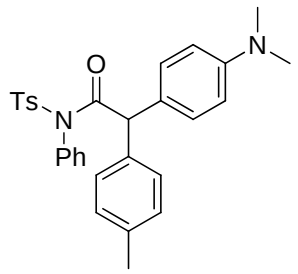
2-(4-(dimethylamino)phenyl)-2-(4-fluorophenyl)-N-phenyl-N-tosylacetamide (5g)



5g

Compound **5g** was prepared in 87% yield by the reaction of aniline **4a** with ynamide **2b** according to the general procedure (Table 3, entry 6). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.48 (t, 1H, *J* = 7.6 Hz), 7.40 (t, 2H, *J* = 7.6 Hz), 7.33 (d, 2H, *J* = 8.0 Hz), 7.06 (d, 2H, *J* = 7.2 Hz), 6.99 – 6.82 (m, 4H), 6.75 (d, 2H, *J* = 8.4 Hz), 6.56 (d, 2H, *J* = 8.8 Hz), 4.60 (s, 1H), 2.91 (s, 6H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 161.7 (d, *J* = 244.2 Hz), 149.7, 144.6, 135.9 (d, *J* = 6 Hz), 134.4 (d, *J* = 3.1 Hz), 130.3, 130.2, 130.1, 129.9, 129.3, 129.2, 129.1, 129.0, 124.7, 115.1 (d, *J* = 21.3 Hz), 112.3, 55.3, 40.3, 21.6; IR (neat): 2918, 2849, 1703, 1611, 1521, 1489, 1452, 1355, 1169, 1142, 1087, 694, 566, 541; MS (ES^+) Calculated for $[C_{29}H_{27}FN_2NaO_3S]^+$: 525.2; Found: 525.1; HRMS (ES^+) Calculated for $[C_{29}H_{27}FN_2NaO_3S]^+$: 525.1624; Found: 525.1625.

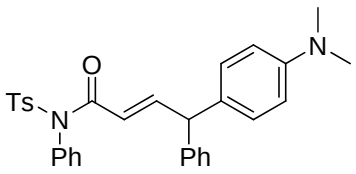
2-(4-(dimethylamino)phenyl)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (5h)



5h

Compound **5h** was prepared in 80% yield by the reaction of aniline **4a** with ynamide **2c** according to the general procedure (Table 3, entry 7). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, 2H, *J* = 8.4 Hz), 7.48 (t, 1H, *J* = 7.6 Hz), 7.40 (t, 2H, *J* = 7.6 Hz), 7.32 (d, 2H, *J* = 8.0 Hz), 7.08 (d, 2H, *J* = 7.6 Hz) , 7.01 (d, 2H, *J* = 8.0 Hz), 6.84 (d, 2H, *J* = 8.0 Hz), 6.79 (d, 2H, *J* = 8.4 Hz), 6.57 (d, 2H, *J* = 8.8 Hz), 4.60 (s, 1H), 2.90 (s, 6H), 2.46 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 149.7, 144.5, 137.3, 136.8, 136.0, 135.8, 130.3, 129.6, 129.2, 129.1, 128.6, 128.1, 126.9, 123.5, 114.3, 110.0, 53.2, 40.3, 21.5, 19.3; IR (neat): 3448, 2917, 2849, 1709, 1611, 1520, 1488, 1451, 1359, 1127, 1115, 1087, 813, 694, 578, 563(bs), 3048, 3020, 2920, 2101, 1513, 1481, 1446, 801, 757, 652, 604; MS (ES^+) Calculated for $[C_{30}H_{30}N_2NaO_3S]^+$: 521.2; Found: 521.2; HRMS (ES^+) Calculated for $[C_{30}H_{30}N_2NaO_3S]^+$: 521.1875; Found: 521.1877.

(E)-4-(4-(dimethylamino)phenyl)-N,4-diphenyl-N-tosylbut-2-enamide (5i)

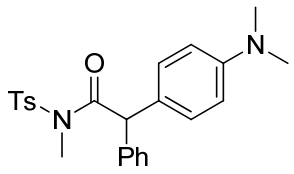


5i

Compound **5i** was prepared in 86% yield by the reaction of aniline **4a** with ynamide **2d** according to the general procedure (Table 3, entry 8). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.4 Hz), 7.51 – 7.39 (m, 3H), 7.38 - 7.28 (m, 3H), 7.24 – 7.10 (m, 5H), 6.97

(dd, 2H, *J* = 6.4 Hz, *J* = 2.4 Hz), 6.83 (d, 2H, *J* = 8.8 Hz), 6.58 (d, 2H, *J* = 8.8 Hz), 5.39 (dd, 1H, *J* = 14.8 Hz, *J* = 1.2 Hz), 4.53 (d, 1H, *J* = 7.6 Hz), 2.89 (s, 6H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.1, 151.4, 149.3, 144.7, 141.8, 136.1, 135.9, 130.2, 129.6, 129.5, 129.3, 129.1, 128.8, 128.7, 128.3, 128.1, 126.5, 122.2, 112.5, 52.5, 40.5, 21.6; IR (neat): 3026(bs), 2919, 2849, 1690, 1632, 1519, 1488, 1358, 1223, 1187, 1159, 1088, 1029, 973, 813, 696, 578, 514; MS (ES^+) Calculated for $[C_{31}H_{30}N_2NaO_3S]^+$: 533.2; Found: 533.2; HRMS (ES^+) Calculated for $[C_{31}H_{30}N_2NaO_3S]^+$: 533.1875; Found: 533.1875.

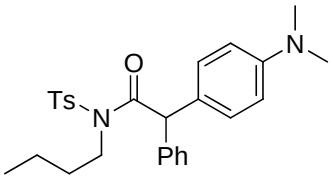
2-(4-(dimethylamino)phenyl)-N-methyl-2-phenyl-N-tosylacetamide (5j)



5j

Compound **5j** was prepared in 78% yield by the reaction of aniline **4a** with ynamide **2g'** according to the general procedure (Table 3, entry 9). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, 2H, *J* = 8.4 Hz), 7.31 – 7.15 (m, 5H), 7.09 (d, 2H, *J* = 8.0 Hz), 6.96 (d, 2H, *J* = 8.4 Hz), 6.61 (d, 2H, *J* = 8.8 Hz), 5.63 (s, 1H), 3.31 (s, 3H), 2.92 (s, 6H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.7, 149.6, 144.4, 138.8, 135.9, 129.5, 129.4, 128.7, 128.3, 127.8, 126.9, 125.3, 112.4, 55.8, 40.4, 33.3, 21.5; IR (neat): 3032(bs), 2923, 2802, 2252, 1698, 1615, 1521, 1494, 1353, 1200, 1186, 1166, 1071, 911, 811, 695, 590, 547; MS (ES^+) Calculated for $[C_{24}H_{26}N_2NaO_3S]^+$: 445.2; Found: 445.1; HRMS (ES^+) Calculated for $[C_{24}H_{26}N_2NaO_3S]^+$: 445.1562; Found: 445.1569.

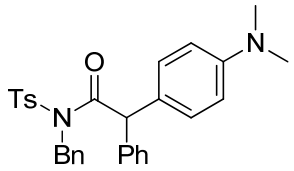
N-butyl-2-(4-(dimethylamino)phenyl)-2-phenyl-N-tosylacetamide (5k)



5k

Compound **5k** was prepared in 82% yield by the reaction of aniline **4a** with ynamide **2g** according to the general procedure (Table 3, entry 10). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (d, 2H, *J* = 8.4 Hz), 7.28 – 7.18 (m, 5H), 7.07 (d, 2H, *J* = 8.0 Hz), 6.93 (d, 2H, *J* = 8.4 Hz), 6.61 (d, 2H, *J* = 8.8 Hz), 5.55 (s, 1H), 3.87 (td, 2H, *J* = 3.6 Hz, *J* = 7.4 Hz), 2.93 (s, 6H), 2.42 (s, 3H), 1.85 – 1.69 (m, 2H), 1.44 - 133 (m, 2H), 0.96 (t, 3H, *J* = 7.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 149.6, 144.2, 138.9, 136.6, 129.4, 129.3, 128.6, 128.2, 127.8, 126.8, 125.3, 112.4, 55.6, 46.7, 40.3, 32.3, 21.4, 19.9, 13.5; IR (neat): 3463(bs), 2958, 2918, 1695, 1612, 1521, 1494, 1450, 1350, 1275, 1166, 1083, 912, 764, 698, 588; MS (ES^+) Calculated for $[C_{27}H_{32}N_2NaO_3S]^+$: 487.2; Found: 487.2; HRMS (ES^+) Calculated for $[C_{27}H_{32}N_2NaO_3S]^+$: 487.2031; Found: 487.2031.

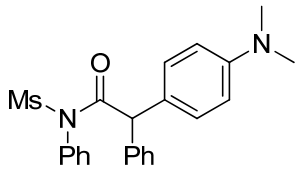
N-benzyl-2-(4-(dimethylamino)phenyl)-2-phenyl-N-tosylacetamide (5l)



5l

Compound **5l** was prepared in 96% yield by the reaction of aniline **4a** with ynamide **2h** according to the general procedure (Table 3, entry 11). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, 2H, *J* = 8.0 Hz), 7.46 – 7.31 (m, 5H), 7.28 – 7.22 (m, 2H), 7.20 – 7.12 (m, 3H), 6.89 – 6.81 (m, 2H), 6.75 (d, 2H, *J* = 8.4 Hz), 6.56 (d, 2H, *J* = 8.8 Hz), 5.25 – 4.96 (m, 3H), 2.91 (s, 6H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.6, 149.7, 144.5, 138.4, 137.1, 136.3, 129.3, 129.2, 128.9, 128.6, 128.5, 128.3, 127.7, 126.9, 126.7, 124.7, 112.4, 55.8, 49.5, 40.4, 21.6; IR (neat): 3440(bs), 2917, 2849, 1703, 1611, 1520, 1494, 1453, 1352, 1166, 1087, 1030, 763, 698, 546; MS (ES^+) Calculated for $[C_{30}H_{30}N_2NaO_3S]^+$: 521.2; Found: 521.2; HRMS (ES^+) Calculated for $[C_{30}H_{30}N_2NaO_3S]^+$: 521.1875; Found: 521.1877.

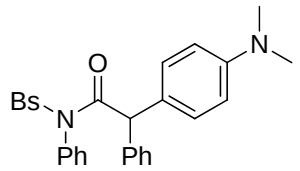
2-(4-(dimethylamino)phenyl)-N-(methylsulfonyl)-N,2-diphenylacetamide (5m)



5m

Compound **5m** was prepared in 97% yield by the reaction of aniline **4a** with ynamide **2i** according to the general procedure (Table 3, entry 12). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.31 (m, 3H), 7.28 – 7.16 (m, 3H), 7.12 – 7.01 (m, 4H), 6.93 (d, 2H, *J* = 8.8 Hz), 6.62 (d, 2H, *J* = 8.8 Hz), 4.75 (s, 1H), 3.45 (s, 3H), 2.94 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.5, 149.6, 138.3, 135.1, 130.1, 129.9, 129.4, 129.3, 128.5, 128.4, 127.1, 124.8, 112.5, 56.0, 42.0, 40.3; IR (neat): 3447(bs), 2917, 2849, 1703, 1161, 1520, 1489, 1353, 1275, 1260, 1141, 693, 754, 539; MS (ES^+) Calculated for $[C_{23}H_{24}N_2NaO_3S]^+$: 431.1; Found: 431.1; HRMS (ES^+) Calculated for $[C_{23}H_{24}N_2NaO_3S]^+$: 431.1405; Found: 431.1408.

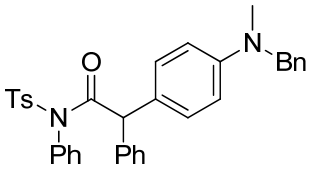
N-((4-bromophenyl)sulfonyl)-2-(4-(dimethylamino)phenyl)-N,2-diphenylacetamide (5n)



5n

Compound **5n** was prepared in 90% yield by the reaction of aniline **4a** with ynamide **2j** according to the general procedure (Table 3, entry 13).^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.67 (d, 2H, *J* = 8.8 Hz), 7.50 (t, 1H, *J* = 7.6 Hz), 7.42 (t, 2H, *J* = 7.6 Hz), 7.30 – 7.16 (m, 3H), 7.06 (d, 2H, *J* = 7.6 Hz), 6.97 (dd, 2H, *J* = 6.4 Hz, *J* = 2.4 Hz), 6.79 (d, 2H, *J* = 8.8 Hz), 6.58 (d, 2H, *J* = 8.8 Hz), 4.64 (s, 1H), 2.92 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 149.6, 138.2, 137.8, 135.5, 131.8, 130.7, 130.3, 130.0, 129.4, 129.2, 128.8, 128.4, 128.3, 127.0, 124.5, 112.3, 56.1, 40.3; IR (neat): 3456(bs), 2914, 2847, 1711, 1612, 1521, 1487, 1389, 1362, 1172, 1141, 1085, 1067, 815, 744, 563; MS (ES^+) Calculated for $[C_{28}H_{25}BrN_2NaO_3S]^+$: 571.1; Found: 571.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}BrN_2NaO_3S]^+$: 571.0667; Found: 571.0665.

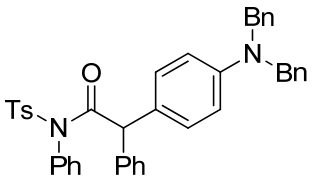
2-(4-(benzyl(methyl)amino)phenyl)-N,2-diphenyl-N-tosylacetamide (5o)



5o

Compound **5o** was prepared in 94% yield by the reaction of aniline **4g** with ynamide **2a** according to the general procedure (Table 3, entry 14). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.47 (t, 1H, *J* = 7.6 Hz), 7.43 – 7.27 (m, 7H), 7.24 – 7.16 (m, 5H), 7.09 – 7.01 (m, 2H), 6.99 – 6.90 (m, 2H), 6.75 (d, 2H, *J* = 8.8 Hz), 6.57 (d, 2H, *J* = 8.8 Hz), 4.61 (s, 1H), 4.49 (s, 2H), 2.99 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 148.7, 144.6, 138.7, 138.4, 135.9, 130.4, 129.8, 129.4, 129.3, 129.2, 128.5, 128.4, 128.3, 126.9, 126.8, 126.5, 125.0, 112.1, 56.4, 56.1, 38.5, 21.6; IR (neat): 3063(bs), 2920, 2847, 1703, 1616, 1520, 1489, 1356, 1172, 1142, 1087, 812, 695, 657, 550; MS (ES^+) Calculated for $[C_{35}H_{32}N_2NaO_3S]^+$: 583.2; Found: 583.2; HRMS (ES^+) Calculated for $[C_{35}H_{32}N_2NaO_3S]^+$: 583.2031; Found: 583.2033.

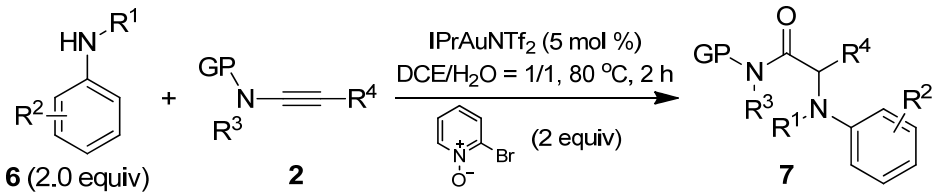
2-(4-(dibenzylamino)phenyl)-N,2-diphenyl-N-tosylacetamide (5p)



5p

Compound **5p** was prepared in 70% yield by the reaction of aniline **4h** with ynamide **2a** according to the general procedure (Table 3, entry 15). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.4 Hz), 7.46 (t, 1H, *J* = 7.6 Hz), 7.42 – 7.18 (m, 17H), 7.02 (d, 2H, *J* = 7.6 Hz), 6.97 – 6.85 (m, 2H), 6.68 (d, 2H, *J* = 8.8 Hz), 6.55 (d, 2H, *J* = 8.8 Hz), 4.61 (s, 4H), 4.58 (s, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.0, 148.2, 144.6, 138.4, 138.1, 135.9, 130.4, 129.8, 129.5, 129.3, 129.2, 129.1, 128.6, 128.5, 128.3, 127.0, 126.8, 126.5, 125.4, 112.3, 56.1, 54.2, 21.6; IR (neat): 3063(bs), 2917, 2853, 2252, 1703, 1616, 1519, 1493, 1361, 1187, 1172, 1144, 1088, 911, 812, 732, 695; MS (ES^+) Calculated for

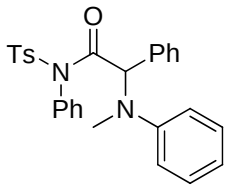
$[C_{41}H_{36}N_2NaO_3S]^+$: 659.2; Found: 659.2; HRMS (ES^+) Calculated for $[C_{41}H_{36}N_2NaO_3S]^+$: 659.2344; Found: 659.2350.



General procedure for the synthesis of 7:

Ynamide **2** (0.30 mmol), 2-bromopyridine *N*-oxide (104.4 mg, 0.60 mmol), and $IPrAuNTf_2$ (13.5 mg, 0.015 mmol) were added in this order to a suspension of the secondary or primary aniline **6** (0.60 mmol) in DCE/H_2O (3.0 mL) at room temperature. The reaction mixture was stirred at 80 °C and the progress of the reaction was monitored by TLC. The reaction typically took 2 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with H_2O (2 × 15 mL). The resulting solution was extracted again with DCM (30 mL) and the combined organic layers were dried with $MgSO_4$. The mixture was then concentrated and the residue was purified by chromatography on silica gel (eluent: hexanes/ethyl acetate) to afford the desired product **7**.

2-(methyl(phenyl)amino)-N,2-diphenyl-N-tosylacetamide (7a)

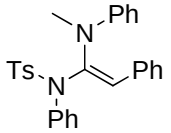


7a

Compound **7a** was prepared in 81% yield by the reaction of aniline **6a** with ynamide **2a** according to the general procedure. ^1H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, 2H, J = 8.4 Hz), 7.29 – 7.12 (m, 8H), 7.05 – 6.90 (m, 2H), 6.89 – 6.86 (m, 3H), 6.62 (t, 1H, J = 7.6

Hz), 6.40 (d, 2H, *J* = 8.0 Hz), 5.17 (s, 1H), 2.62 (s, 3H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 149.1, 144.9, 135.8, 134.9, 134.7, 129.4, 129.3, 129.1, 129.0, 128.7, 128.6, 117.8, 113.0, 65.3, 34.0, 21.6. IR (neat): 3063, 3029, 2924, 2254, 1705(s), 1610, 1521, 1496, 1453, 1359, 1171, 1087, 910, 732, 695, 570; MS (ES^+) Calculated for $[C_{28}H_{26}N_2NaO_3S]^+$: 493.2; Found: 493.2; HRMS (ES^+) Calculated for $[C_{28}H_{26}N_2NaO_3S]^+$: 493.1562; Found: 493.1569.

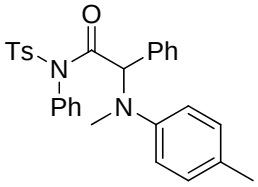
(E)-4-methyl-N-(1-(methyl(phenyl)amino)-2-phenylvinyl)-N-phenylbenzenesulfonamide (3ad)



3ad

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 (d, 2H, *J* = 8.0 Hz), 7.26 – 7.17 (m, 12H), 7.00 – 6.97 (m, 2H), 6.88 – 6.81 (m, 3H), 6.13 (s, 1H), 2.69 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.9, 143.7, 140.3, 138.4, 137.2, 134.9, 129.7, 129.3, 128.7, 128.6, 128.3, 128.1, 127.5, 120.0, 116.4, 114.8, 38.7, 21.6; IR: 2950, 2920, 2839, 1597, 1492, 14752, 1352, 1165, 1090, 740, 695, 661; MS (ES^+) Calculated for $[C_{28}H_{26}N_2NaO_2S]^+$: 477.2; Found: 477.1; HRMS (ES^+) Calculated for $[C_{28}H_{26}N_2NaO_2S]^+$: 477.1613; Found: 477.1610.

2-(methyl(p-tolyl)amino)-N,2-diphenyl-N-tosylacetamide (7b)

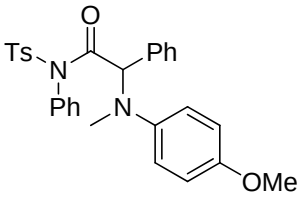


7b

Compound **7b** was prepared in 88% yield by the reaction of aniline **6b** with ynamide **2a** according to the general procedure (Table 4, entry 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.40 (d, 2H, *J* = 7.2 Hz), 7.39 – 7.27 (m, 8H), 7.04 – 6.96 (m, 6H), 6.48 (d, 2H, *J* = 8.8

Hz), 5.27 (s, 1H), 2.73 (s, 3H), 2.51 (s, 3H), 2.78 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.5, 147.1, 144.9, 135.9, 135.0, 134.8, 129.9, 129.5, 129.3, 129.2, 129.1, 128.8, 128.5, 113.6, 65.8, 34.2, 21.6, 20.2. IR (neat): 3029, 2922, 2253, 1708(s), 1617, 1596, 1518, 1488, 1364, 1173, 912, 740, 694; MS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.2; Found: 507.2; HRMS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.1718; Found: 507.1718.

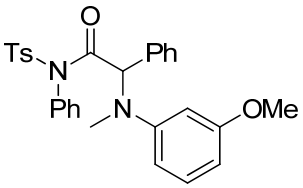
2-((4-methoxyphenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7c)



7c

Compound **7c** was prepared in 81% yield by the reaction of aniline **6c** with ynamide **2a** according to the general procedure (Table 4, entry 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.0 Hz), 7.39 – 7.24 (m, 8H), 7.00 – 6.92 (m, 4H), 6.72 (d, 2H, *J* = 8.8 Hz), 6.52 (d, 2H, *J* = 8.8 Hz), 5.13 (s, 1H), 3.75 (s, 3H), 2.67 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.4, 152.7, 144.8, 143.8, 135.0, 134.8, 130.0, 129.9, 129.3, 129.2, 129.1, 128.8, 128.5, 128.2, 116.1, 114.4, 66.9, 55.6, 34.7, 21.6. IR (neat): 3066, 3029, 2923, 2833, 2253, 1708(s), 1596, 1511, 1488, 1363, 1247, 1173, 1036, 814, 696; MS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_4S]^+$: 523.2; Found: 523.2; HRMS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_4S]^+$: 523.1667; Found: 523.1670.

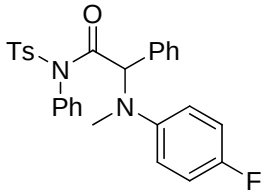
2-((3-methoxyphenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7d)



7d

Compound **7d** was prepared in 88% yield by the reaction of aniline **6d** with ynamide **2a** according to the general procedure (Table 4, entry 3). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.36 – 7.26 (m, 8H), 7.07 – 6.98 (m, 5H), 6.32 – 6.30 (m, 1H), 6.14 – 6.08 (m, 2H), 5.28 (s, 1H), 3.73 (s, 3H), 2.71 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 160.6, 150.5, 144.9, 135.0, 134.7, 130.0, 129.7, 129.4, 129.3, 129.1, 128.7, 128.6, 128.3, 105.9, 102.8, 99.7, 65.4, 55.0, 34.2, 21.6; IR (neat): 2957, 2926, 2256, 1708(s), 1608, 1497, 1453, 1364, 1172, 1107, 910, 733, 695; MS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_4S]^+$: 523.2; Found: 523.2; HRMS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_4S]^+$: 523.1667; Found: 523.1669.

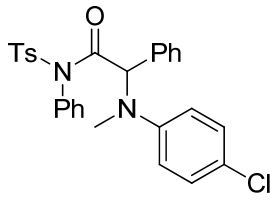
2-((4-fluorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7e)



7e

Compound **7e** was prepared in 86% yield by the reaction of aniline **6e** with ynamide **2a** according to the general procedure (Table 4, entry 4). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (d, 2H, *J* = 8.4 Hz), 7.36 – 7.27 (m, 8H), 6.99 – 6.81 (m, 6H), 6.49 – 6.45 (m, 2H), 5.17 (s, 1H), 2.95 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 156.1 (d, *J* = 235.6 Hz), 145.8 (d, *J* = 1.1 Hz), 145.0, 135.8, 134.9, 134.5, 130.0, 129.9, 129.3, 129.1, 128.7, 128.6, 128.3, 115.3 (d, *J* = 22.0 Hz), 115.0 (d, *J* = 7.3 Hz), 66.4, 34.6, 21.6; IR (neat): 3066, 3032, 2920, 2253, 1708(s), 1596, 1509, 1488, 1364, 1231, 1188, 1173, 1105, 1088, 911, 814, 734, 694; MS (ES^+) Calculated for $[C_{28}H_{25}FN_2NaO_3S]^+$: 511.1; Found: 511.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}FN_2NaO_3S]^+$: 511.1468; Found: 511.1470.

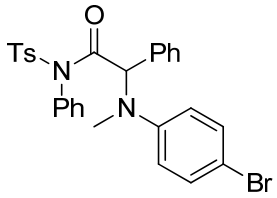
2-((4-chlorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7f)



7f

Compound **7f** was prepared in 95% yield by the reaction of aniline **6f** with ynamide **2a** according to the general procedure (Table 4, entry 5). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, 2H, *J* = 8.4 Hz), 7.40– 7.26 (m, 8H), 7.08 – 6.96 (m, 6H), 6.42 (d, 2H, *J* = 10.8 Hz), 5.22 (s, 1H), 2.69 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.1, 147.8, 145.1, 134.9, 134.3, 130.1, 129.4, 129.1, 128.7, 128.6, 128.4, 122.7, 114.3, 65.7, 34.3, 21.7; IR (neat): 3063, 3032, 2917, 2258, 1709(s), 1596, 1497, 1452, 1364, 1307, 1173, 1107, 1087, 912, 810, 735, 697; MS (ES^+) Calculated for $[C_{28}H_{25}ClN_2NaO_3S]^+$: 527.1; Found: 527.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}ClN_2NaO_3S]^+$: 527.1172; Found: 527.1172.

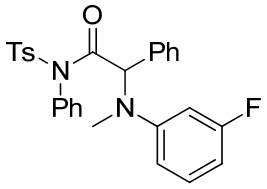
2-((4-bromophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7g)



7g

Compound **7g** was prepared in 99% yield by the reaction of aniline **6g** with ynamide **2a** according to the general procedure (Table 4, entry 6). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, 2H, *J* = 8.4 Hz), 7.34 – 7.23 (m, 8H), 7.17 (d, 2H, *J* = 8.8 Hz), 6.95 (d, 4H, *J* = 6.8 Hz), 6.36 – 6.33 (m, 2H), 5.19 (s, 1H), 2.66 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 148.1, 145.1, 135.7, 134.9, 134.2, 131.6, 130.1, 129.9, 129.4, 129.1, 128.7, 128.6, 128.5, 114.7, 109.8, 65.5, 34.3, 21.7; IR (neat): 3066, 3032, 2926, 2253, 1709(s), 1592, 1494, 1452, 1364, 1307, 1173, 1106, 1087, 911, 809, 733, 696, 571; MS (ES^+) Calculated for $[C_{28}H_{25}BrN_2NaO_3S]^+$: 571.1; Found: 571.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}BrN_2NaO_3S]^+$: 571.0667; Found: 571.0669.

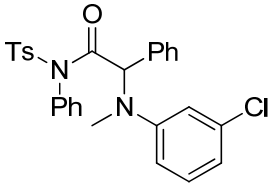
2-((3-fluorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7h)



7h

Compound **7h** was prepared in 89% yield by the reaction of aniline **6h** with ynamide **2a** according to the general procedure (Table 4, entry 7). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, 2H, *J* = 8.0 Hz), 7.41 – 7.26 (m, 8H), 7.06 – 6.97 (m, 5H), 6.44 – 6.39 (m, 1H), 6.28 – 6.16 (m, 2H), 5.24 (s, 1H), 2.71 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.1, 163.8 (d, *J* = 241.2 Hz), 150.8 (d, *J* = 10.3 Hz), 145.1, 135.8, 134.9, 134.3, 130.2, 130.1, 130.0, 129.5, 129.4, 129.1, 128.8, 128.7, 128.5, 108.2, 104.2 (d, *J* = 21.3 Hz), 99.9 (d, *J* = 26.0 Hz), 65.2, 34.2, 21.6; IR (neat): 3063, 3032, 2923, 2258, 1708(s), 1616, 1597, 1497, 1452, 1365, 1172, 1106, 1088, 1005, 910, 733, 695; MS (ES^+) Calculated for $[C_{28}H_{25}FN_2NaO_3S]^+$: 511.1; Found: 511.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}FN_2NaO_3S]^+$: 511.1468; Found: 511.1470.

2-((3-chlorophenyl)(methyl)amino)-N,2-diphenyl-N-tosylacetamide (7i)

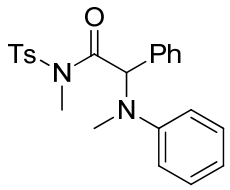


7i

Compound **7i** was prepared in 93% yield by the reaction of aniline **6i** with ynamide **2a** according to the general procedure (Table 4, entry 8). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, 2H, *J* = 8.4 Hz), 7.42 – 7.26 (m, 9H), 7.05 – 6.97 (m, 4H), 6.70 (d, 1H, *J* = 1.2 Hz), 6.46 – 6.39 (m, 2H), 5.21 (s, 1H), 2.70 (s, 3H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.0, 150.1, 145.1, 134.9, 130.2, 130.0, 129.5, 129.4, 129.1, 128.8, 128.7, 128.6, 117.7, 112.7, 111.0, 65.1, 34.2, 21.7; IR (neat): 3066, 3035, 2923, 2256, 1707(s), 1594, 1563,

1488, 1452, 1365, 1173, 1088, 989, 911, 734, 698; MS (ES^+) Calculated for $[C_{28}H_{25}ClN_2NaO_3S]^+$: 527.1; Found: 527.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}ClN_2NaO_3S]^+$: 527.1172; Found: 527.1174.

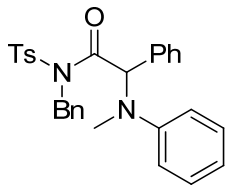
N-methyl-2-(methyl(phenyl)amino)-2-phenyl-N-tosylacetamide (7j)



7j

Compound **7j** was prepared in 76% yield by the reaction of aniline **6a** with ynamide **2g'** according to the general procedure (Table 4, entry 9). ^1H NMR (400 MHz, $CDCl_3$) δ 7.52 – 7.49 (d, 2H, *J* = 8.4 Hz), 7.35 – 7.24 (m, 3H), 7.25 – 7.11 (m, 6H), 6.81 – 6.75 (m, 3H), 6.38 (s, 1H), 3.24 (s, 3H), 2.74 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 149.4, 144.8, 135.2, 134.9, 129.5, 129.3, 129.0, 128.9, 128.3, 127.8, 117.9, 113.0, 65.5, 34.4, 33.0, 21.6; IR (neat): 3405(bs), 3066, 3029, 2926, 1708(s), 1597, 1503, 1488, 1367, 1160, 1085, 911, 745, 696, 600, 566; MS (ES^+) Calculated for $[C_{23}H_{24}N_2NaO_3S]^+$: 431.1; Found: 431.1; HRMS (ES^+) Calculated for $[C_{23}H_{24}N_2NaO_3S]^+$: 431.1405; Found: 431.1408.

N-benzyl-2-(methyl(phenyl)amino)-2-phenyl-N-tosylacetamide (7k)

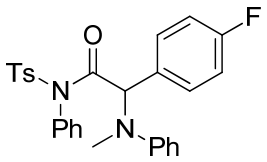


7k

Compound **7k** was prepared in 85% yield by the reaction of aniline **6a** with ynamide **2h** according to the general procedure (Table 4, entry 10). ^1H NMR (400 MHz, $CDCl_3$) δ 7.44 (d, 2H, *J* = 5.6 Hz), 7.42 – 7.28 (m, 10H), 7.10 – 6.96 (m, 4H), 6.74 (t, 1H, *J* = 7.2 Hz), 6.39 (d, 2H, *J* = 7.6 Hz), 5.90 (s, 1H), 5.27 (d, 1H, *J* = 16.8 Hz), 4.64 (d, 1H, *J* =

16.8 Hz), 2.66 (s, 3H), 2.49 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 149.1, 144.9, 136.3, 135.8, 134.7, 129.4, 129.1, 129.0, 128.9, 128.6, 117.7, 113.0, 65.6, 49.3, 34.1, 21.6; IR (neat): 3066, 3029, 2917, 2258, 1708(s), 1597, 1503, 1453, 1353, 1167, 1103, 1088, 911, 749, 729, 589; MS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.2; Found: 507.2; HRMS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.1718; Found: 507.1718.

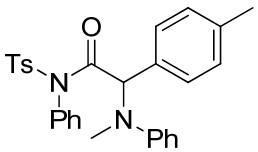
2-(4-fluorophenyl)-2-(methyl(phenyl)amino)-N-phenyl-N-tosylacetamide (7l)



7l

Compound **7l** was prepared in 80% yield by the reaction of aniline **6a** with ynamide **2b** according to the general procedure (Table 4, entry 11). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, 2H, *J* = 8.4 Hz), 7.41 – 7.20 (m, 5H), 7.16 – 7.06 (m, 2H), 7.05 – 6.87 (m, 6H), 6.71(t, 1H, *J* = 7.6 Hz), 6.46 (d, 2H, *J* = 8.4 Hz), 5.22 (s, 1H), 2.70 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 162.5 (d, *J* = 246.5 Hz), 148.9, 145.1, 135.8, 134.9, 130.6 (d, *J* = 3.2 Hz), 130.5, 130.4, 130.1, 129.9, 129.4, 129.2, 129.0, 118.1, 115.6 (d, *J* = 21.5 Hz), 113.2, 64.8, 34.1, 21.7; IR (neat): 3436, 2918, 2849, 1706(s), 1596, 1362, 1292, 1226, 1152, 1086, 991, 692; MS (ES^+) Calculated for $[C_{28}H_{25}FN_2NaO_3S]^+$: 511.1; Found: 511.1; HRMS (ES^+) Calculated for $[C_{28}H_{25}FN_2NaO_3S]^+$: 511.1468; Found: 511.1470.

2-(methyl(phenyl)amino)-N-phenyl-2-(p-tolyl)-N-tosylacetamide (7m)

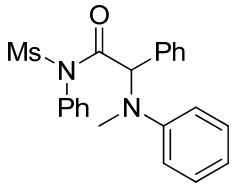


7m

Compound **7m** was prepared in 83% yield by the reaction of aniline **6a** with ynamide **2c** according to the general procedure (Table 4, entry 12). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (d, 2H, *J* = 8.4 Hz), 7.41 – 7.20 (m, 5H), 7.17 – 6.90 (m, 6H), 6.84 (d, 2H, *J* = 8.4

Hz), 6.69 (t, 1H, *J* =7.6 Hz), 6.48 (d, 2H, *J* = 8.0 Hz), 5.20 (s, 1H), 2.69 (s, 3H), 2.45 (s, 3H), 2.33 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 149.1, 144.8, 138.1, 135.9, 135.0, 131.6, 129.9, 129.3, 129.1, 128.9, 128.7, 117.7, 112.9, 65.1, 34.0, 21.6, 21.1; IR (neat): 3057, 2923, 1709(s), 1597, 1503, 1452, 1365, 1174, 1106, 1088, 1033, 964, 892, 736, 695; MS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.2; Found: 507.2; HRMS (ES^+) Calculated for $[C_{29}H_{28}N_2NaO_3S]^+$: 507.1718; Found: 507.1720.

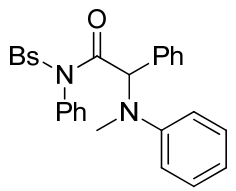
2-(methyl(phenyl)amino)-N-(methylsulfonyl)-N,2-diphenylacetamide (7n)



7n

Compound **7n** was prepared in 82% yield by the reaction of aniline **6a** with ynamide **2i** according to the general procedure (Table 4, entry 13). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.36 (m, 4H), 7.33 – 7.23 (m, 4H), 7.19 – 7.16 (m, 2H), 7.10 – 6.80 (m, 3H), 6.68 (d, 2H, *J* = 8.4 Hz), 5.40 (s, 1H), 3.45 (s, 3H), 2.84 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 149.2, 134.4, 134.1, 130.2, 129.4, 129.2, 128.9, 128.7, 128.5, 118.2, 113.2, 65.5, 42.3, 34.1; IR (neat): 3060, 3027, 2931, 2256, 1708(s), 1597, 1503, 1453, 1356, 1317, 1153, 1107, 964, 911, 736, 695, 539; MS (ES^+) Calculated for $[C_{22}H_{22}N_2NaO_3S]^+$: 417.1; Found: 417.1; HRMS (ES^+) Calculated for $[C_{22}H_{22}N_2NaO_3S]^+$: 417.1249; Found: 417.1245.

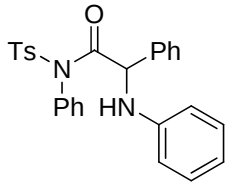
N-((4-bromophenyl)sulfonyl)-2-(methyl(phenyl)amino)-N,2-diphenylacetamide (7o)



7o

Compound **7o** was prepared in 81% yield by the reaction of aniline **6a** with ynamide **2j** according to the general procedure (Table 4, entry 14). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 – 7.86 (m, 2H), 7.68 – 7.64 (m, 2H), 7.40 – 7.35 (m, 1H), 7.33 – 7.25 (m, 5H), 7.15 – 7.09 (m, 2H), 7.00 – 6.96 (m, 4H), 6.75 – 6.71 (m, 1H), 6.49 (d, 2H), 5.25 (s, 1H), 2.71 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.6, 149.1, 137.8, 134.6, 134.5, 132.1, 130.6, 130.2, 130.0, 129.5, 129.2, 129.1, 128.7, 128.6, 128.4, 118.1, 113.2, 65.6, 34.1; IR (neat): 3063, 2920, 2853, 1709(s), 1597, 1573, 1503, 1488, 1368, 1153, 1106, 1068, 745, 696, 600, 564; MS (ES^+) Calculated for $[C_{27}H_{23}BrN_2NaO_3S]^+$: 557.1; Found: 557.0; HRMS (ES^+) Calculated for $[C_{27}H_{23}BrN_2NaO_3S]^+$: 557.0510; Found: 557.0512.

N,2-diphenyl-2-(phenylamino)-N-tosylacetamide (7p)



7p

Compound **7p** was prepared in 78% yield by the reaction of aniline **6j** with ynamide **2a** according to the general procedure (Table 4, entry 15). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, 2H, *J* = 8.4 Hz), 7.51 (t, 1H, *J* = 7.6 Hz), 7.40 (t, 2H, *J* = 7.6 Hz), 7.31 (d, 2H, *J* = 8.4 Hz), 7.27 – 7.15 (m, 3H), 7.06 – 6.96 (m, 4H), 6.86 (d, 2H, *J* = 7.2 Hz), 6.65 (t, 1H, *J* = 7.2 Hz), 6.37 (d, 2H, *J* = 7.6 Hz), 4.82 (d, 1H, *J* = 7.2 Hz), 4.66 (d, 1H, *J* = 7.2 Hz), 2.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 145.6, 145.1, 136.1, 135.6, 134.7, 130.7, 130.3, 129.6, 129.4, 129.14, 129.11, 128.8, 128.5, 127.9, 118.4, 113.5, 60.6, 21.7; IR (neat): 3387 (bs), 3061, 3029, 2920, 2862, 1703 (s), 1616, 1596, 1519, 1488, 1364, 1305, 1173, 1087, 929, 696, 569; MS (ES^+) Calculated for $[C_{27}H_{24}N_2NaO_3S]^+$: 479.1; Found: 479.1; HRMS (ES^+) Calculated for $[C_{27}H_{24}N_2NaO_3S]^+$: 479.1405; Found: 479.1405.

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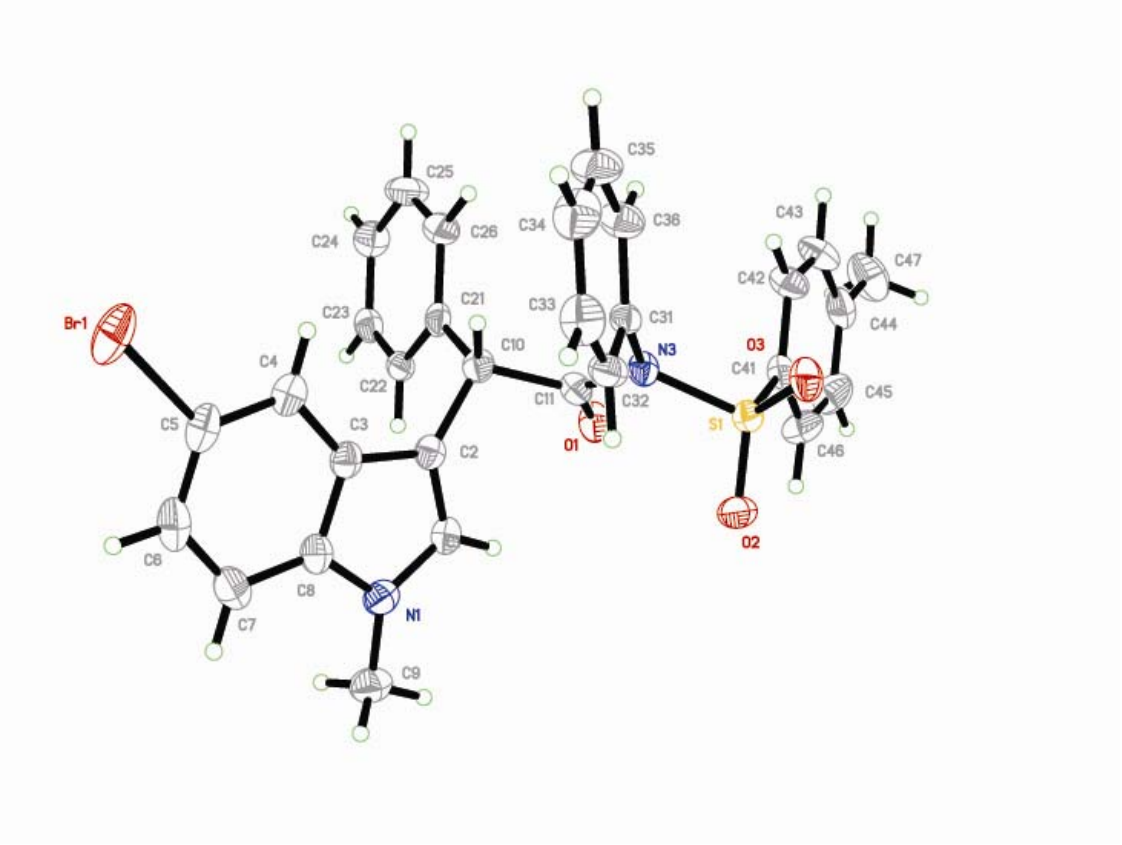


Figure 1. X-ray crystal structure of compound **3b**.

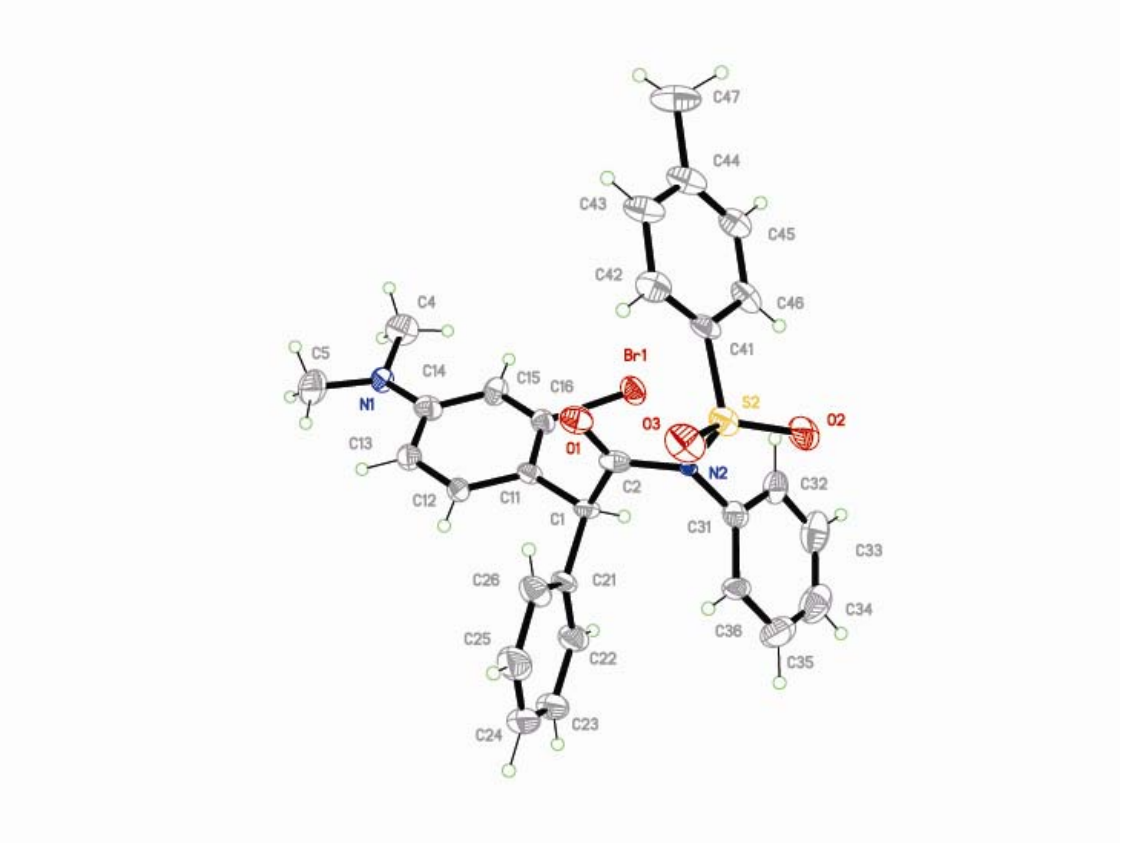
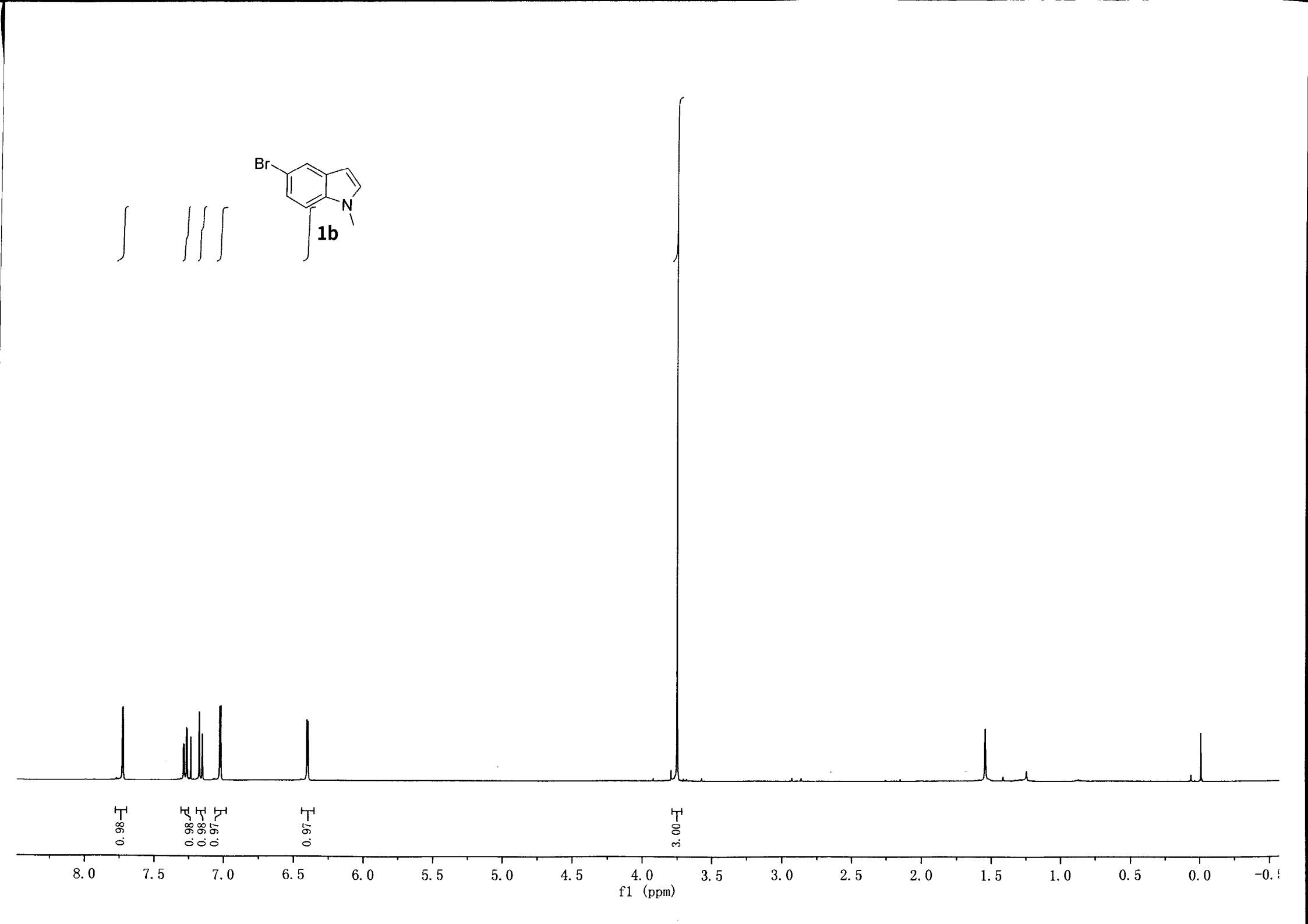
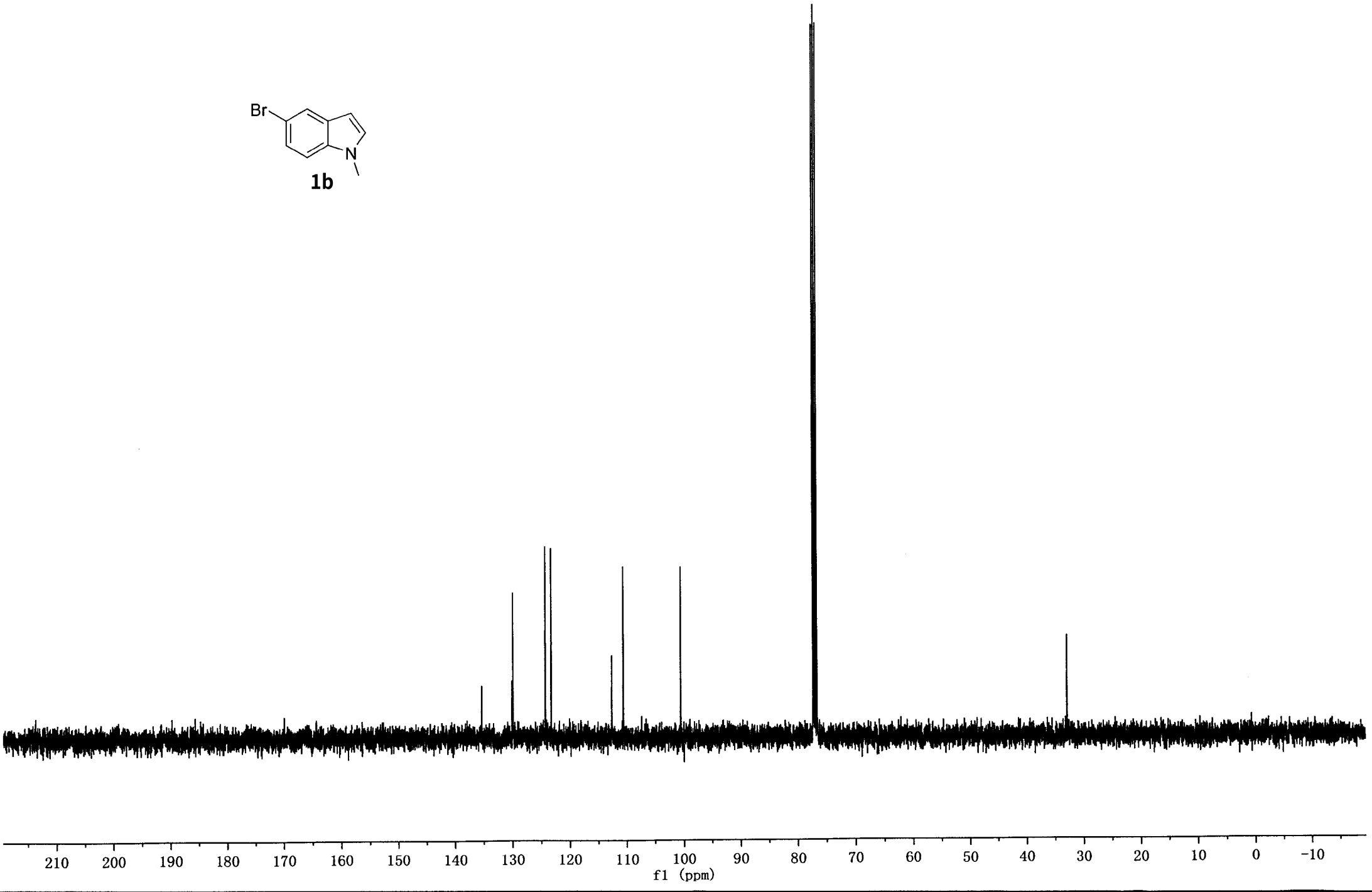
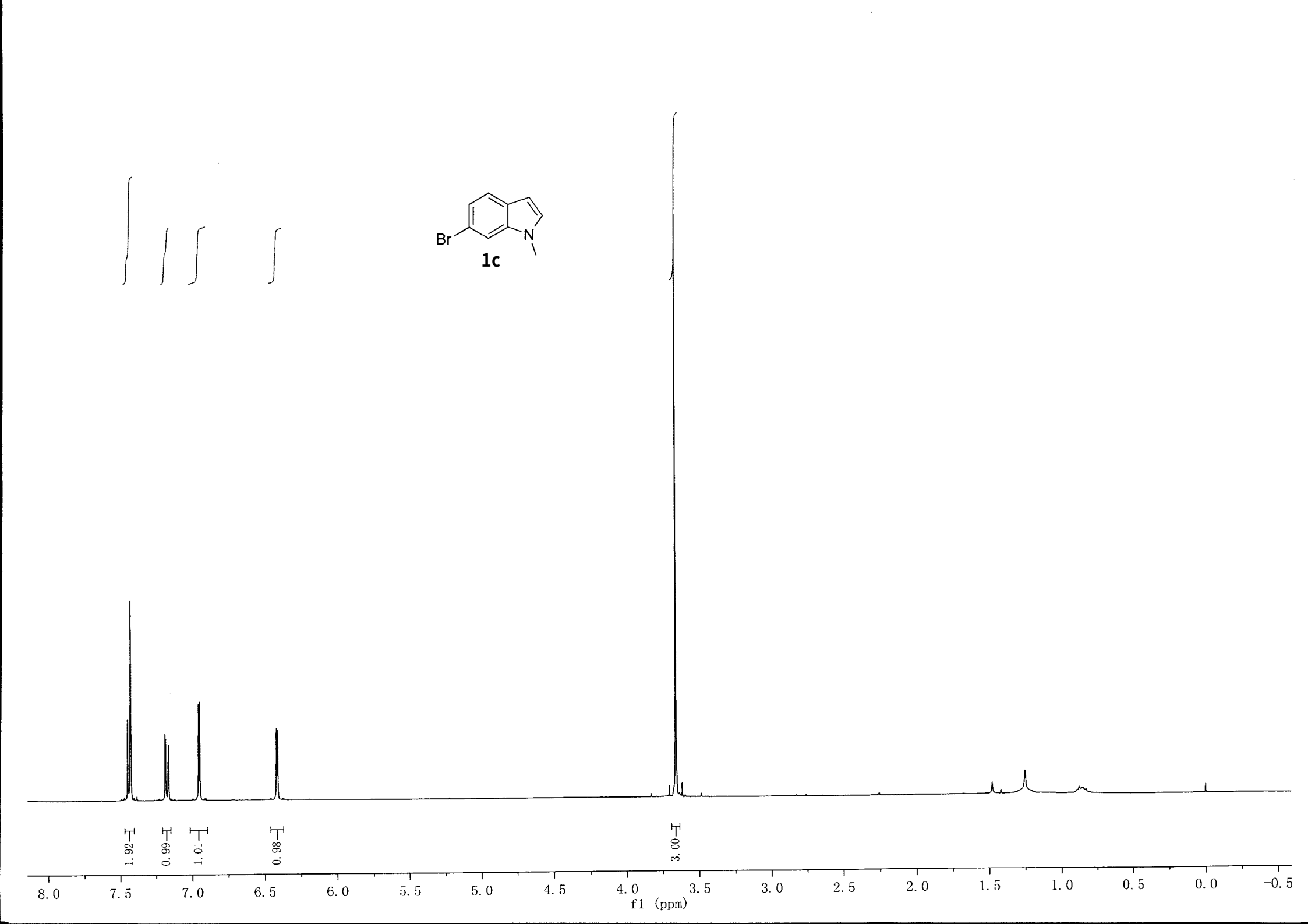
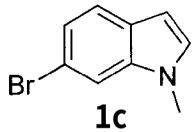


Figure 2. X-ray crystal structure of compound **5f**.

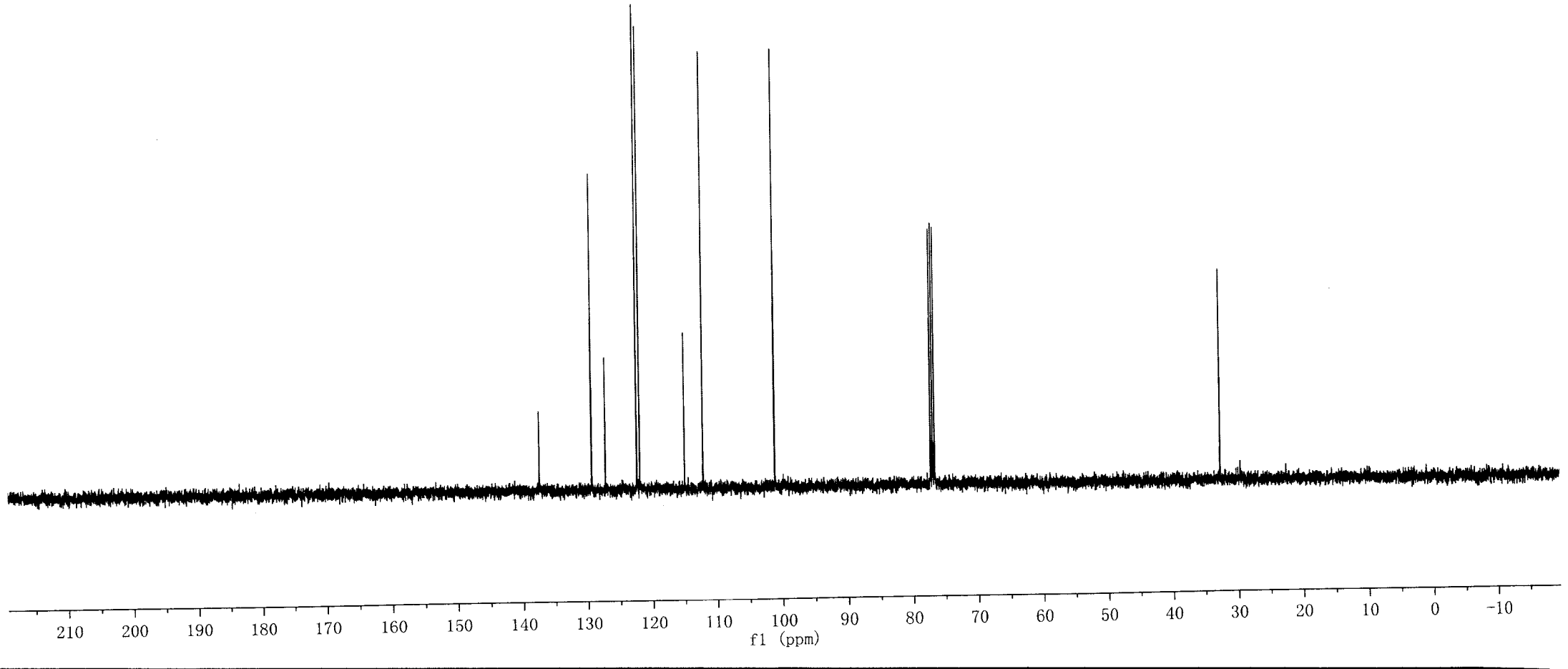

Br
N
1b
0.98
0.98
0.98
0.97
0.97
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

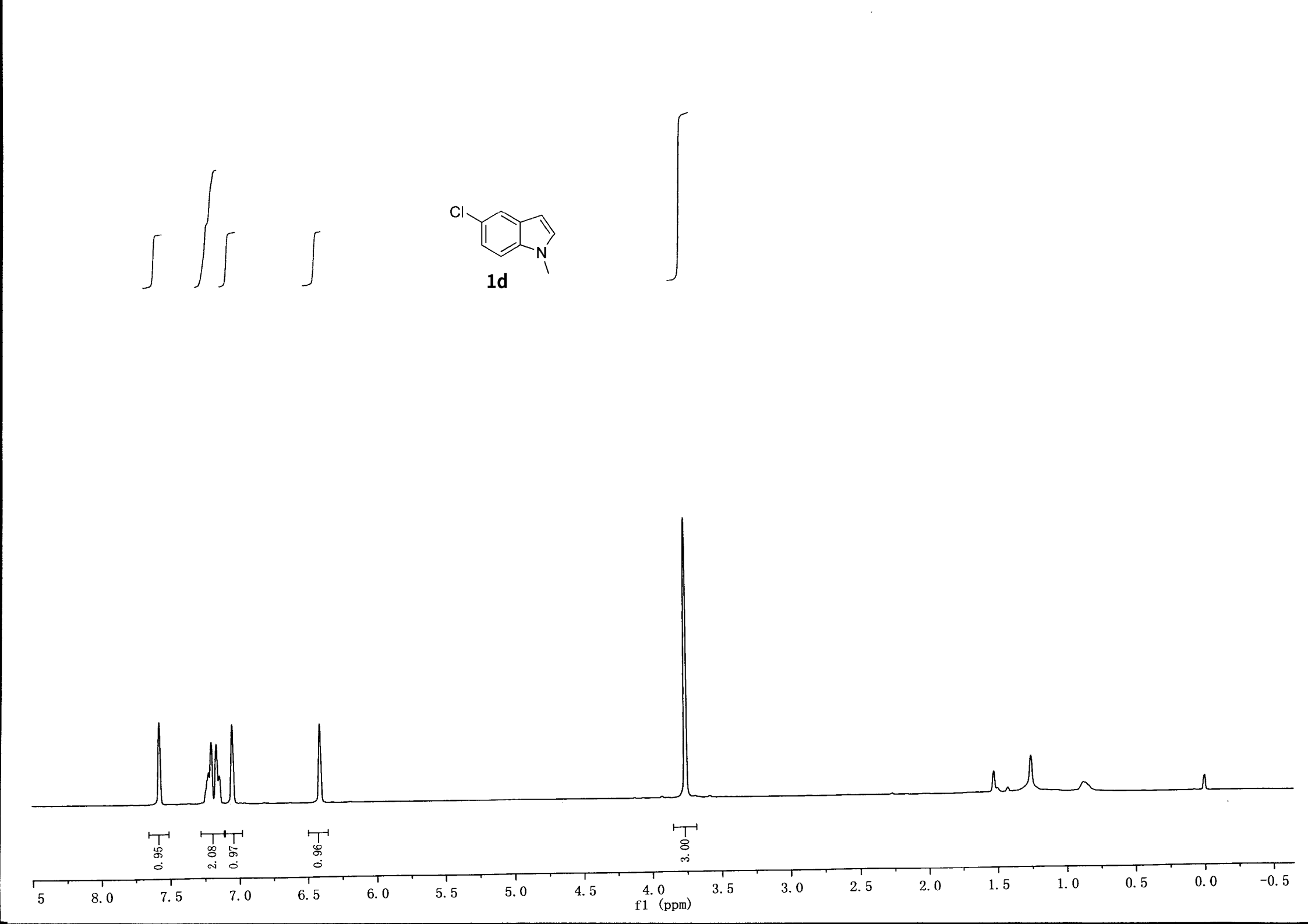

Br
N
1b
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

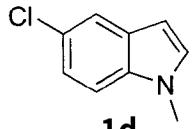

Br
N
1c
1.92
0.99
1.01
0.98
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Br
N

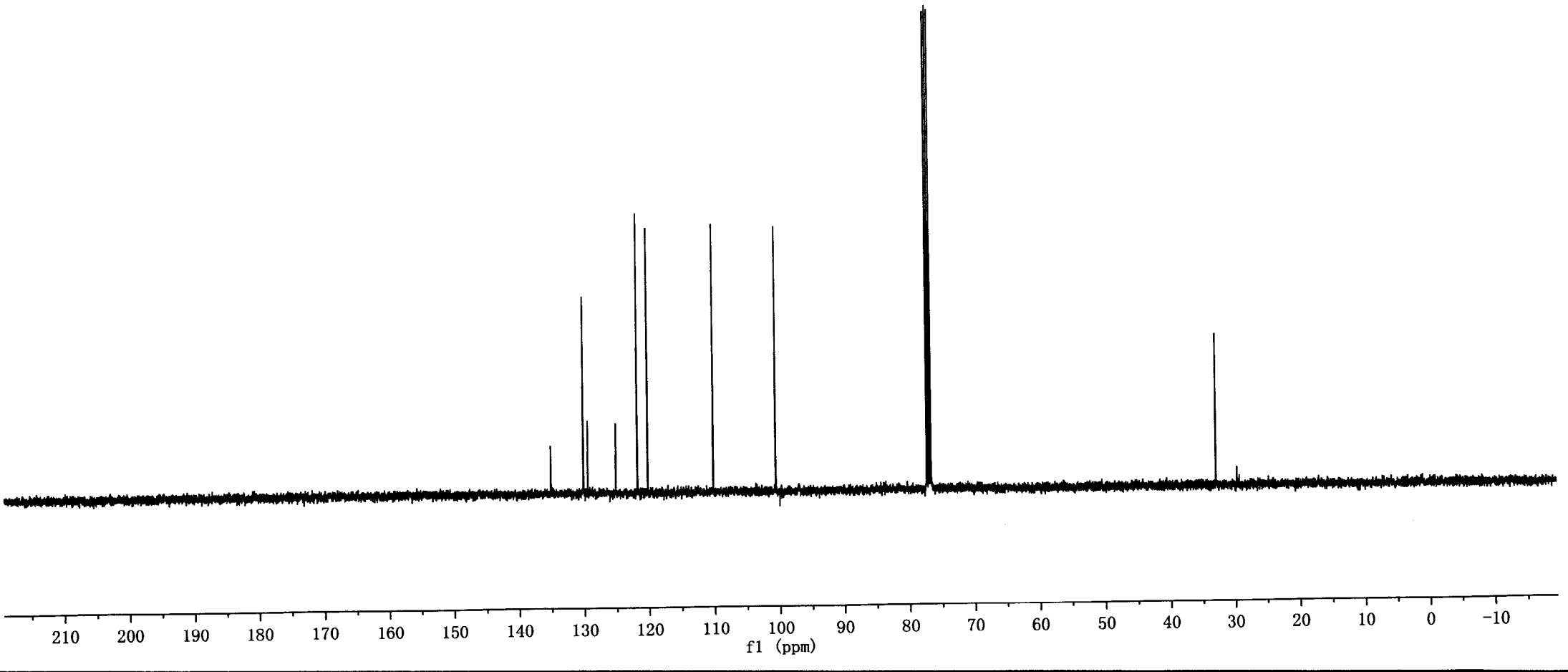
1c

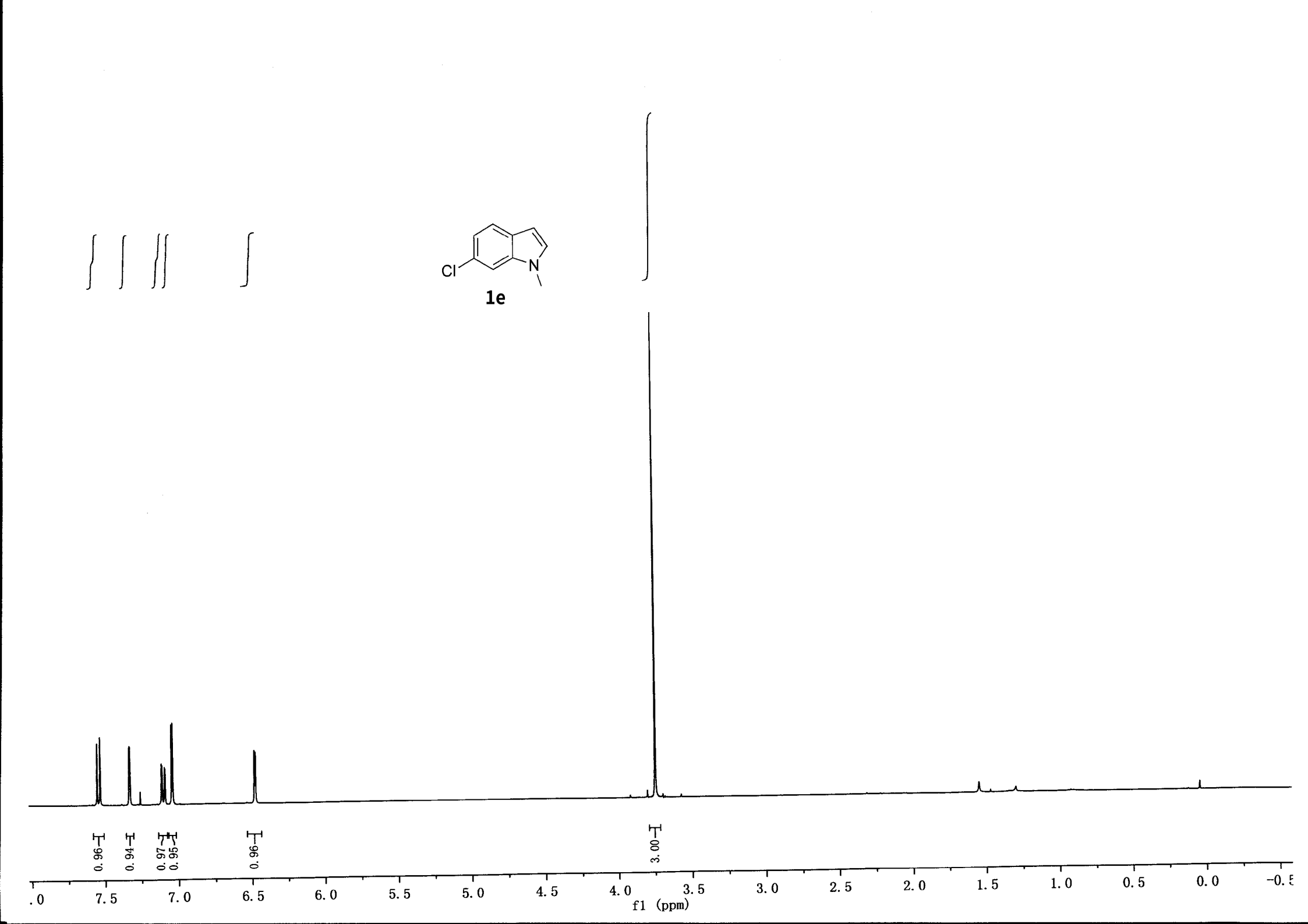

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

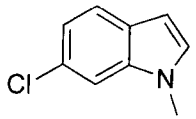

Cl
N
1d
0.95
2.08
0.97
0.96
3.00
5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Cl
N

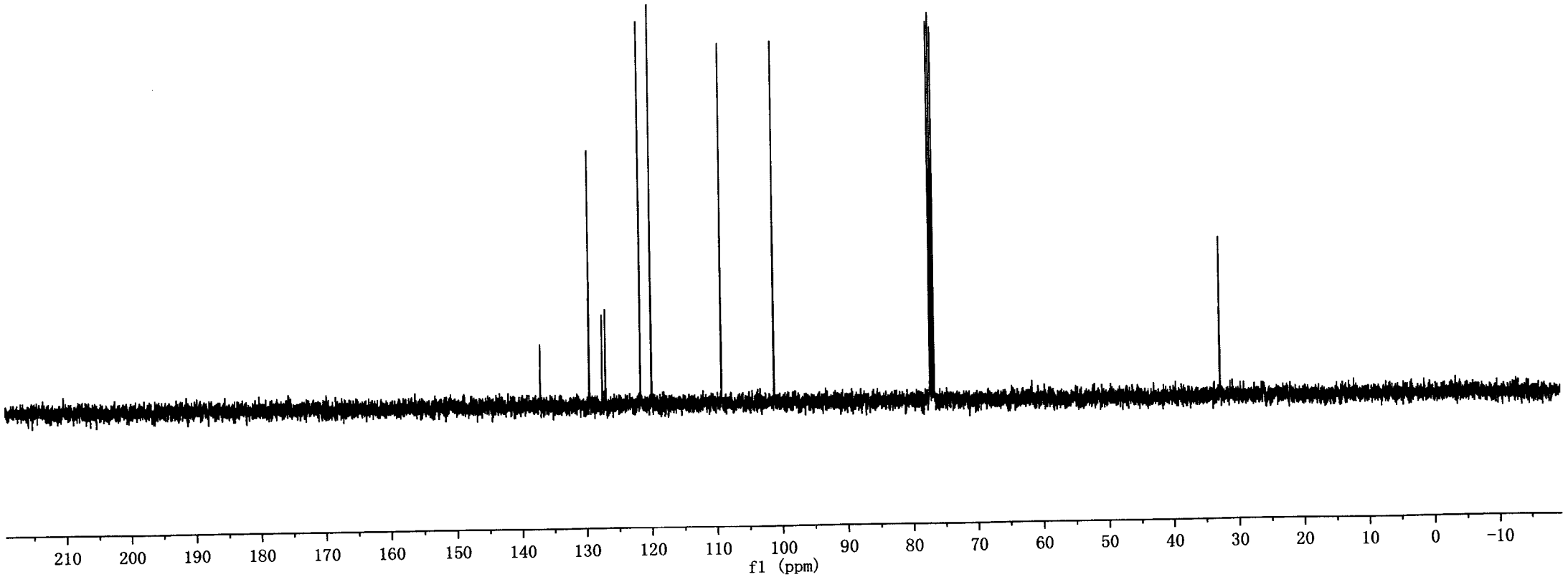
1d

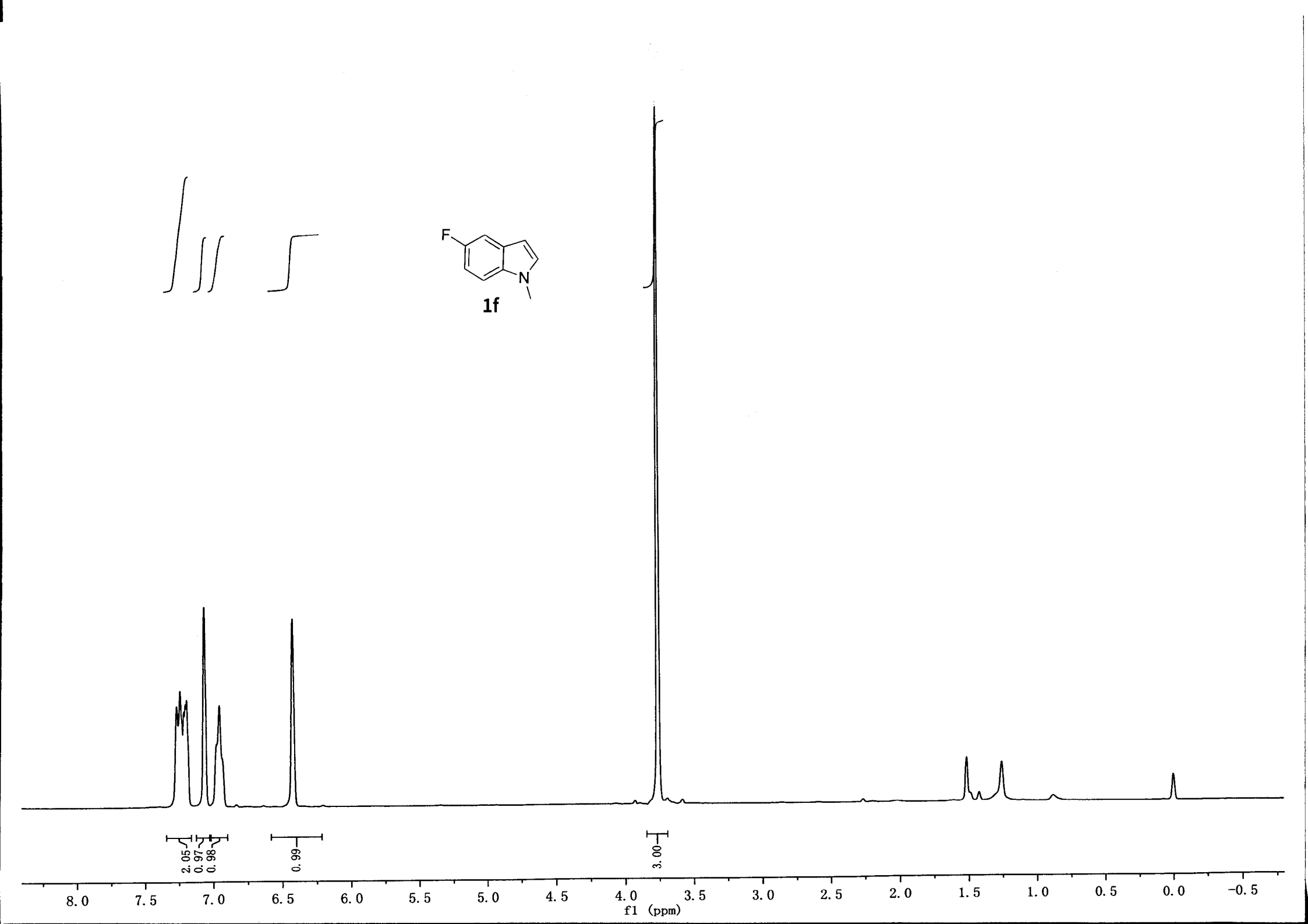

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

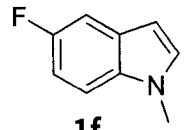

Cl
N
1e
0.96
0.94
0.97
0.95
0.96
3.00
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Cl
N

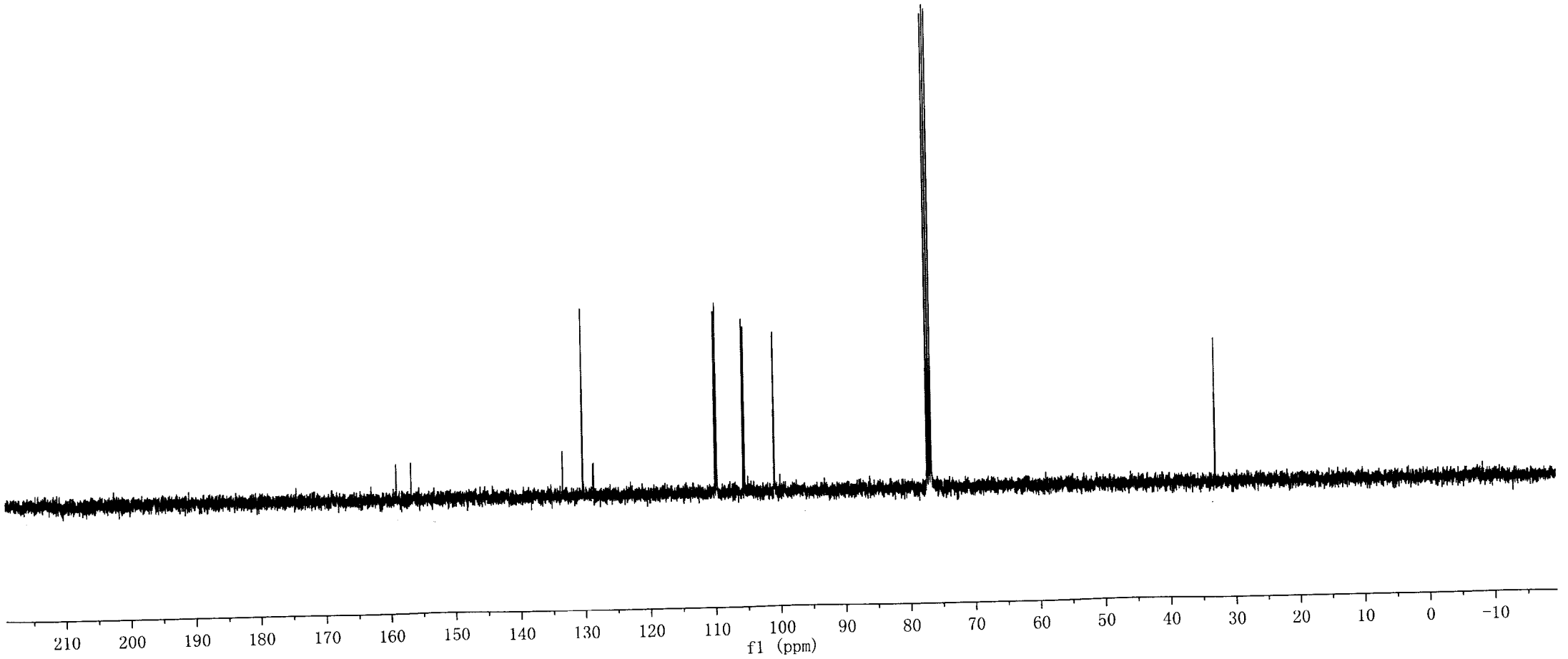
1e


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


F
N
1f
2.05
0.97
0.98
0.99
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

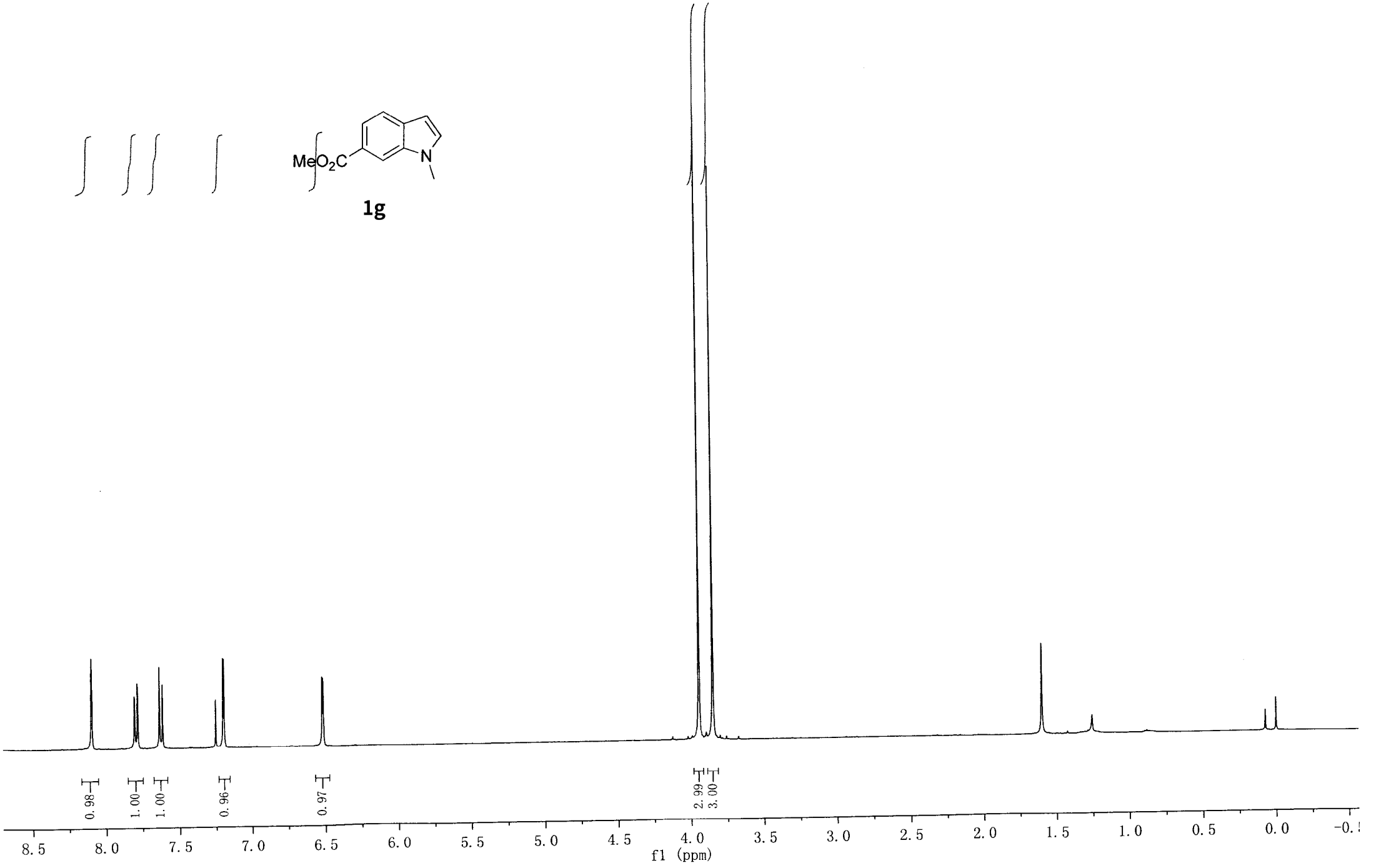

F
N

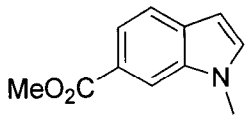
1f


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

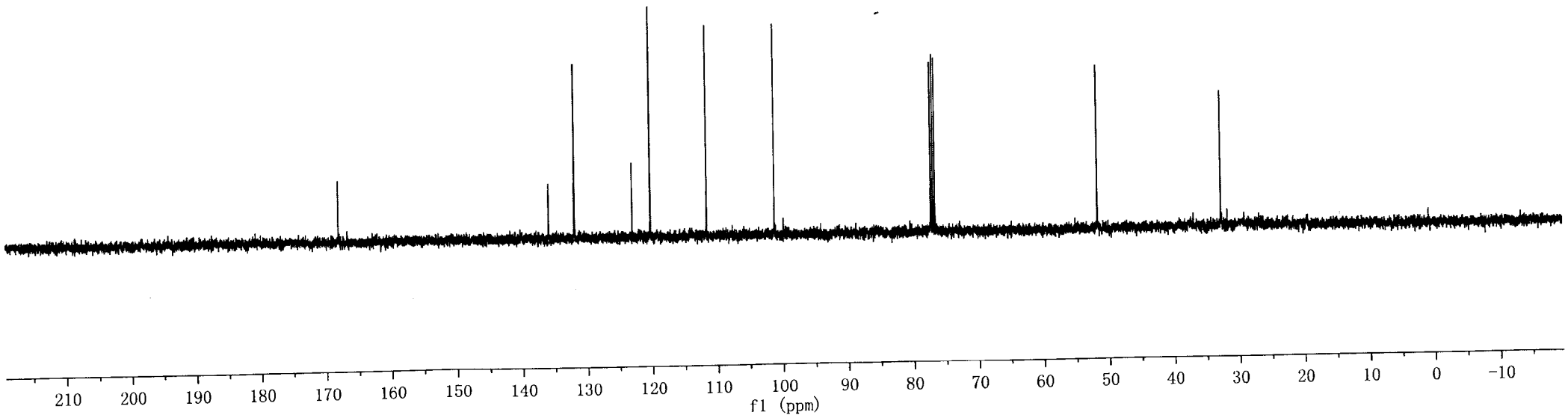
MeO2C
N

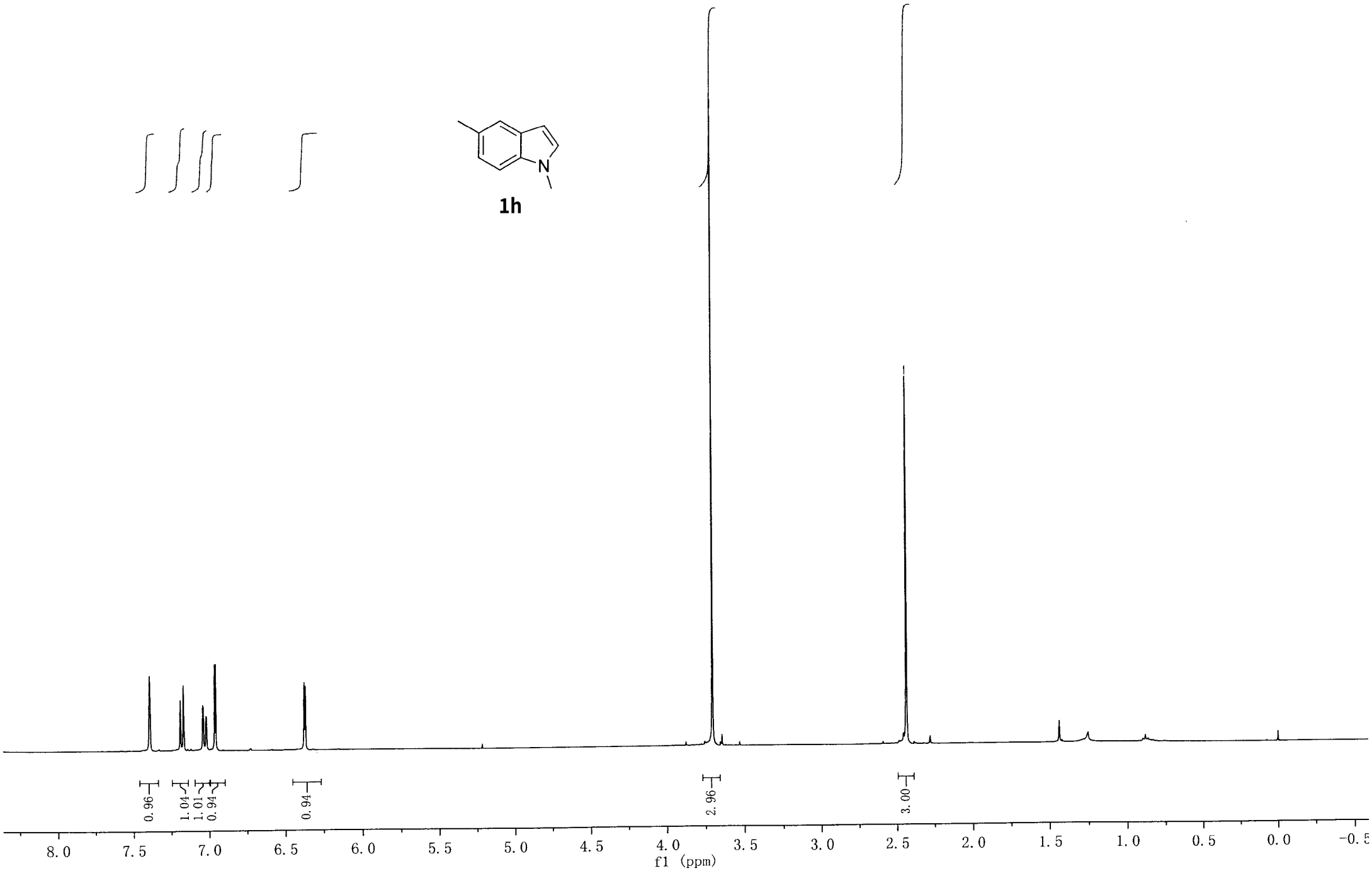
1g

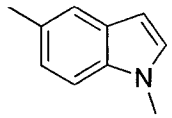

0.98
1.00
1.00
0.96
0.97
2.99
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


MeO2C
N

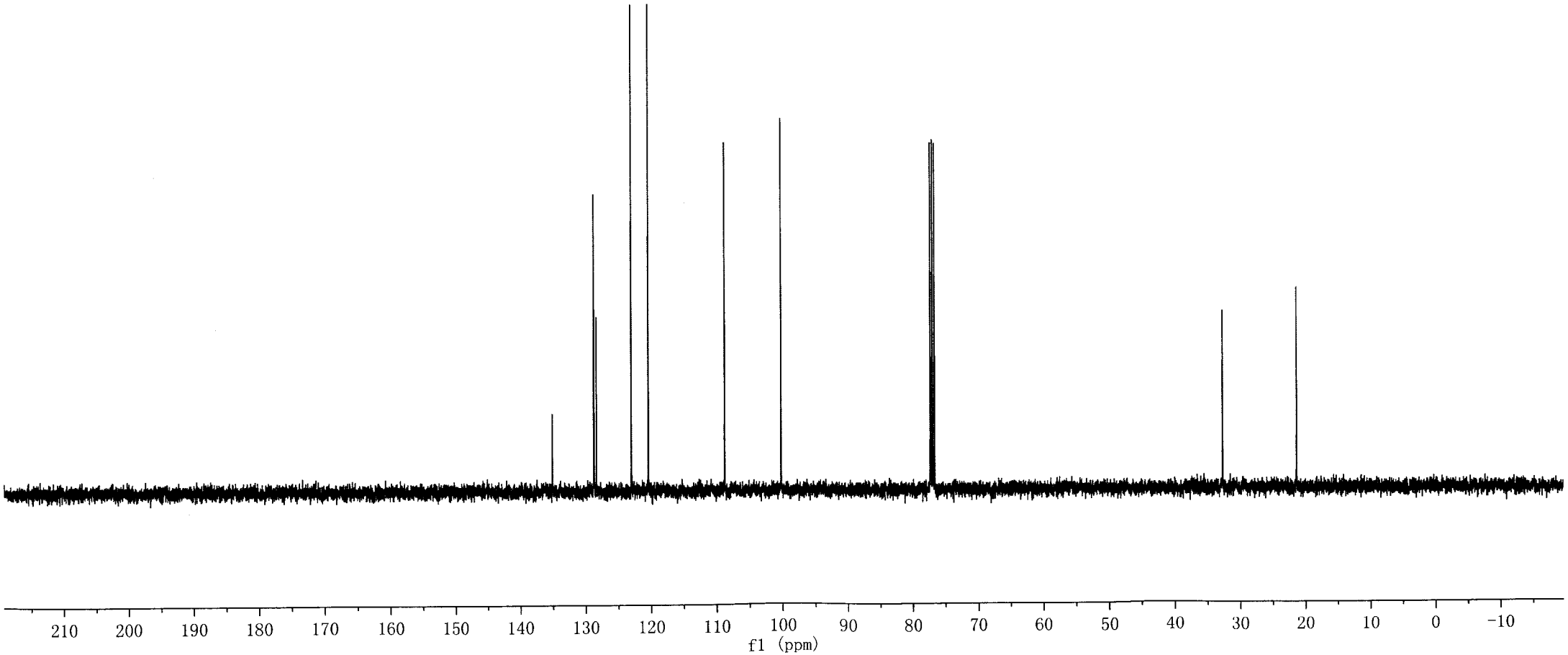
1g

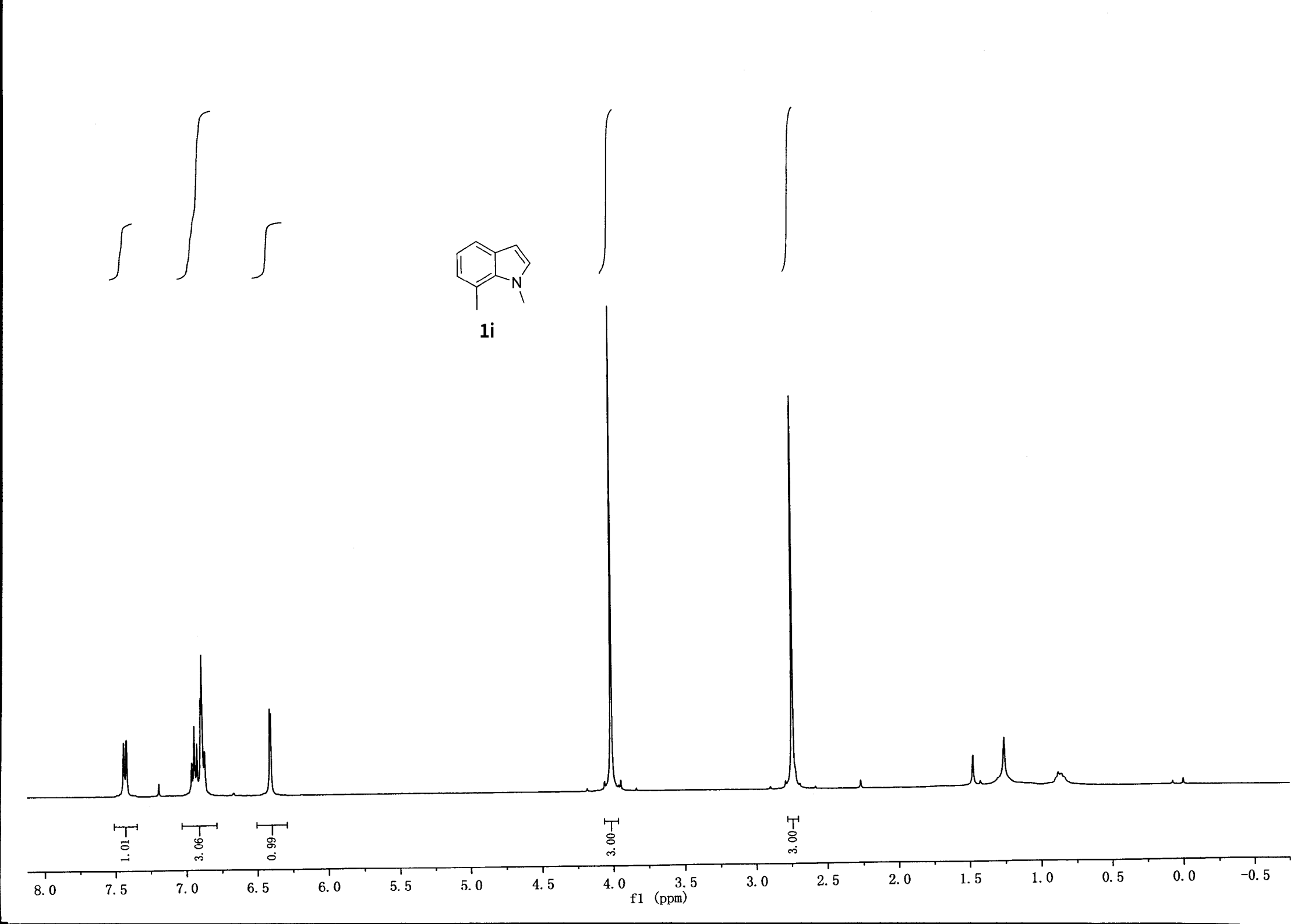

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

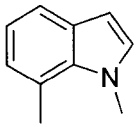

1h
0.96
1.04
1.01
0.94
0.94
2.96
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


N

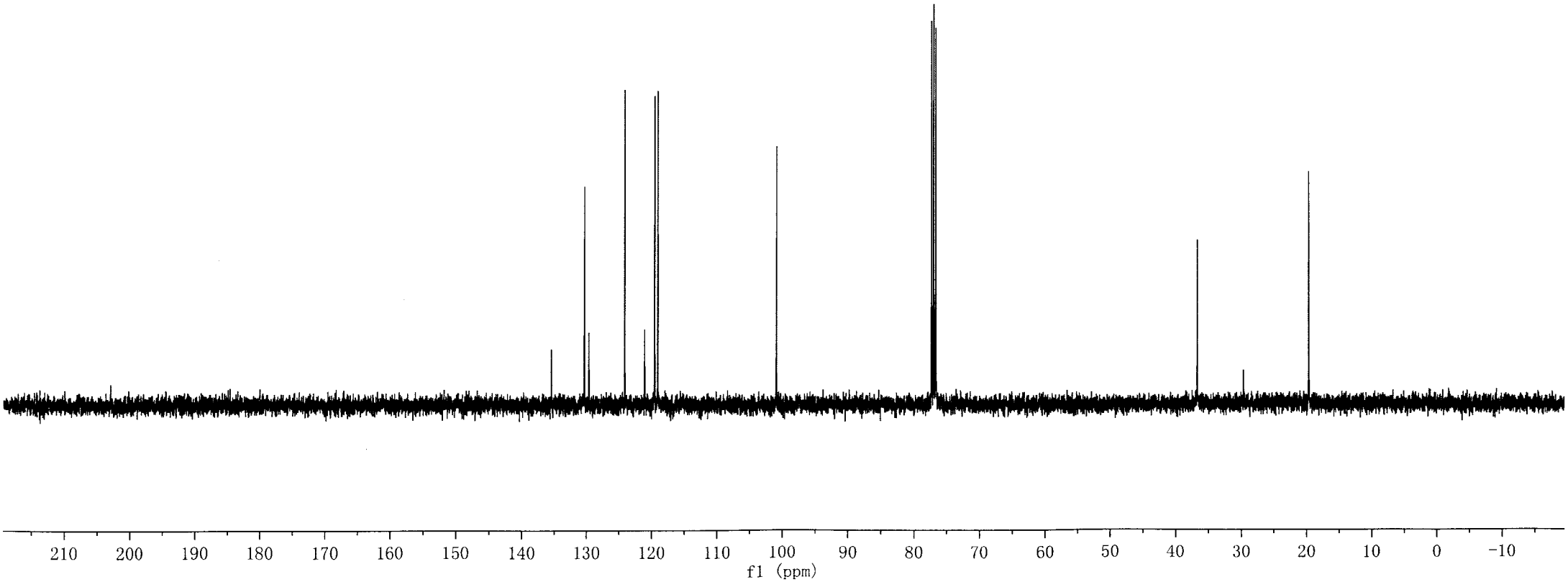
1h

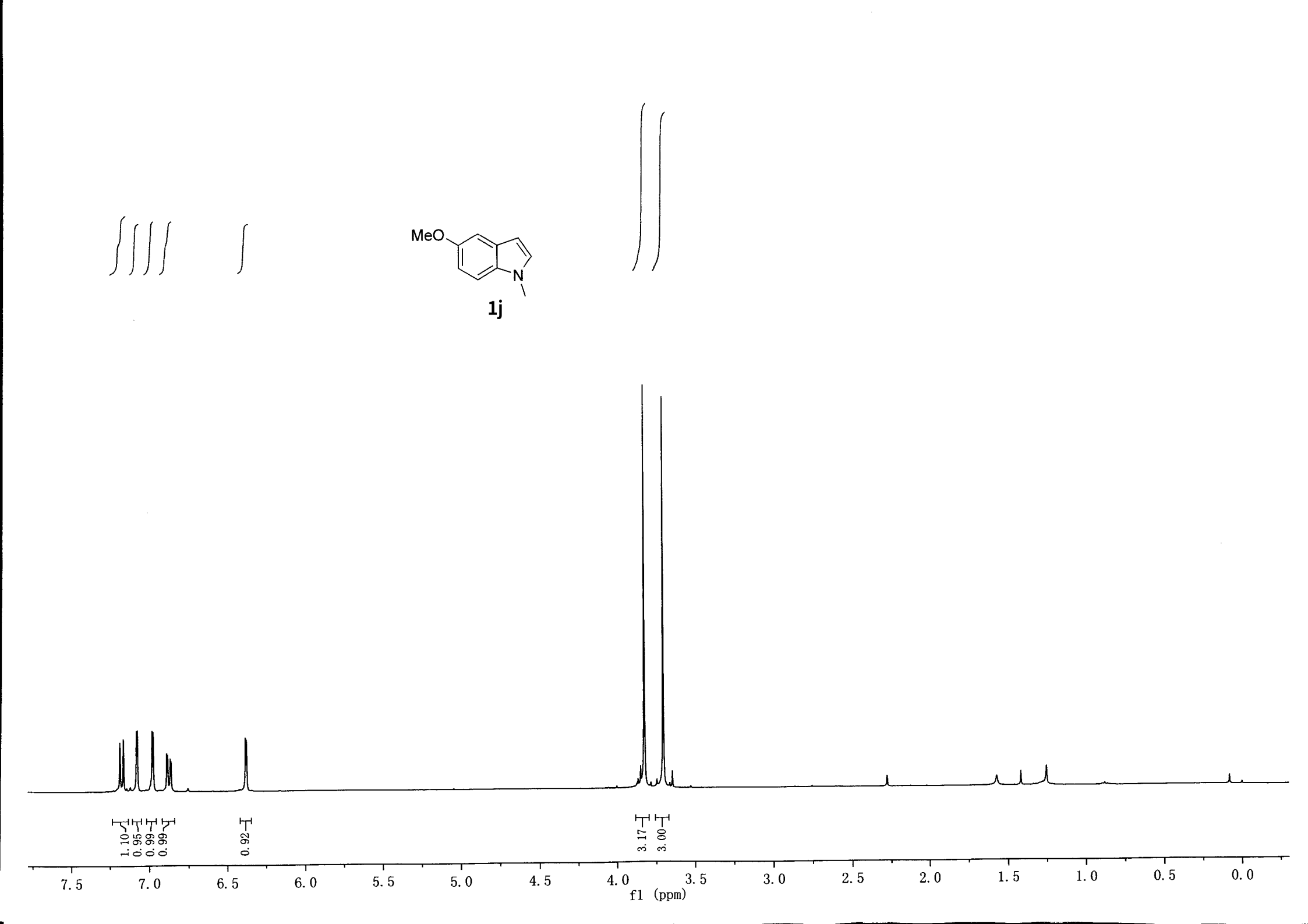

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

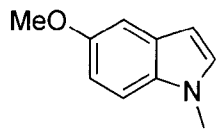

1i
1.01
3.06
0.99
3.00
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


N

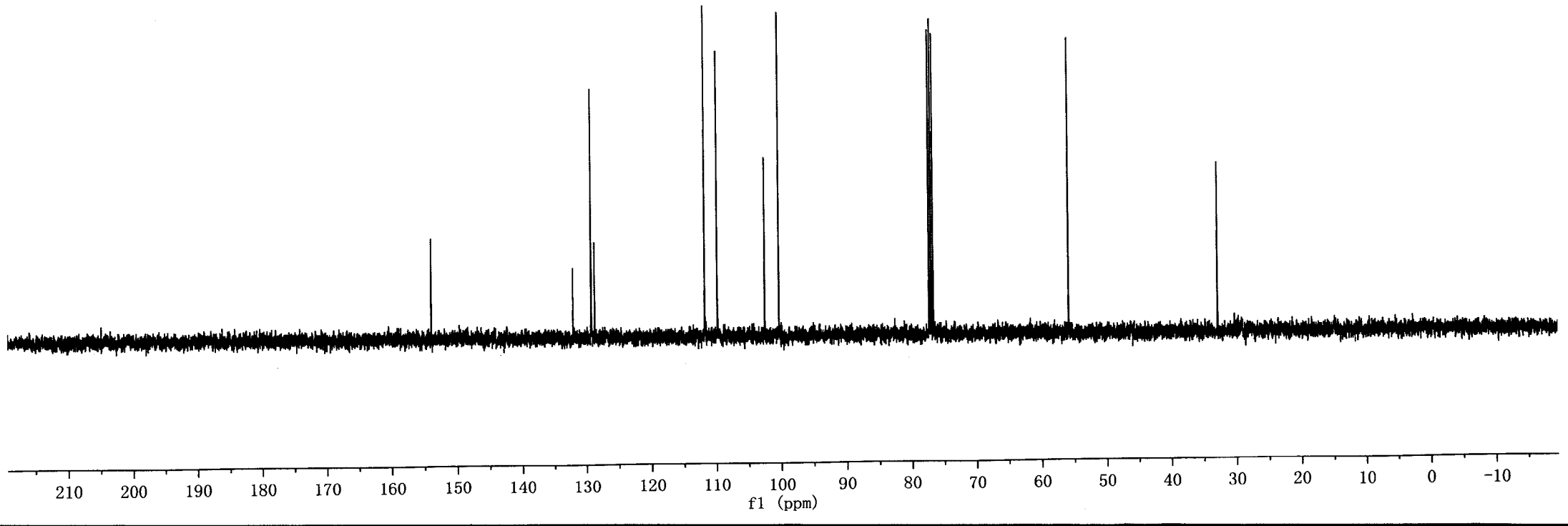
1i

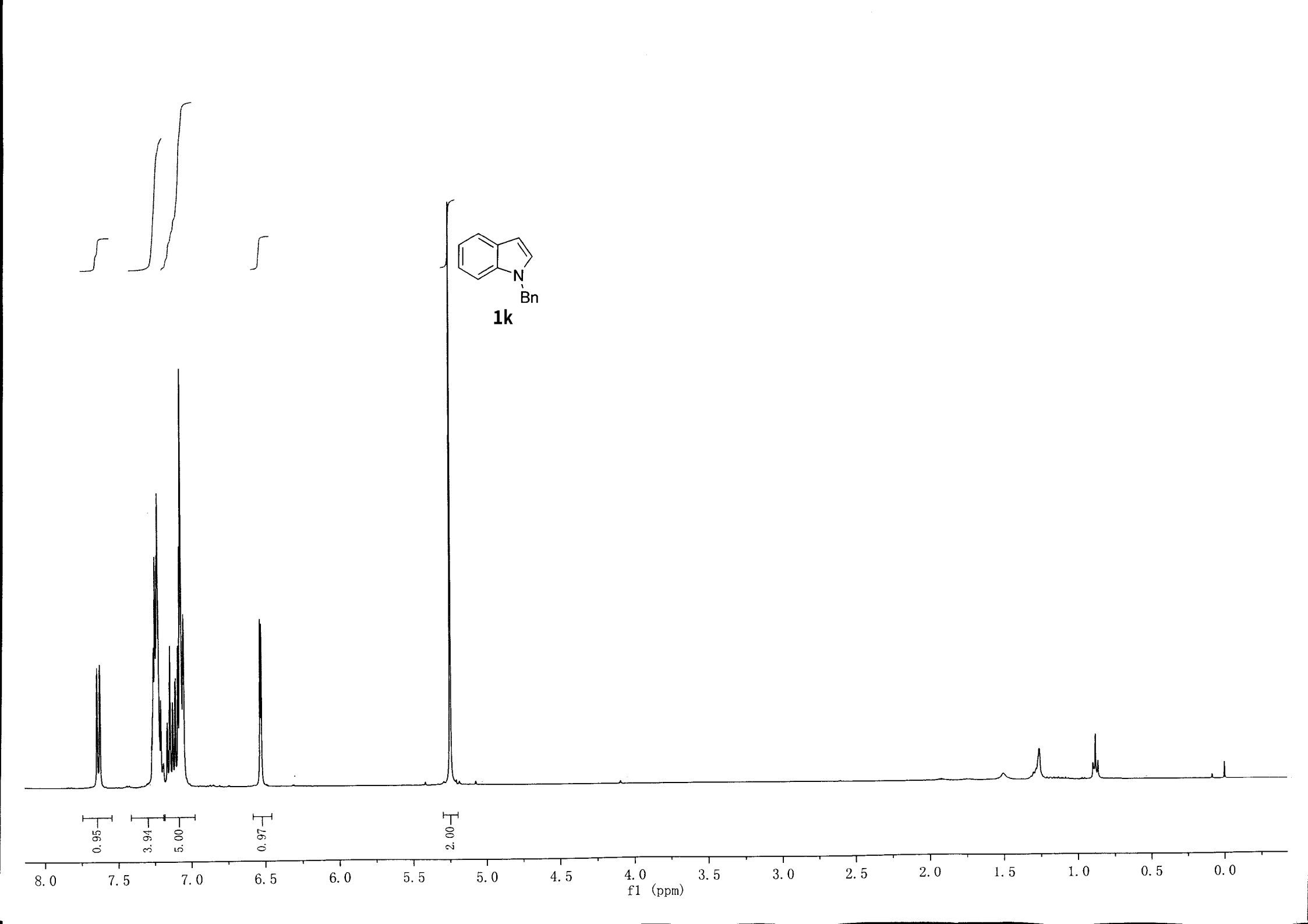

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

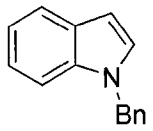

MeO
N
1j
1.10
0.95
0.99
0.99
0.92
3.17
3.00
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


MeO
N

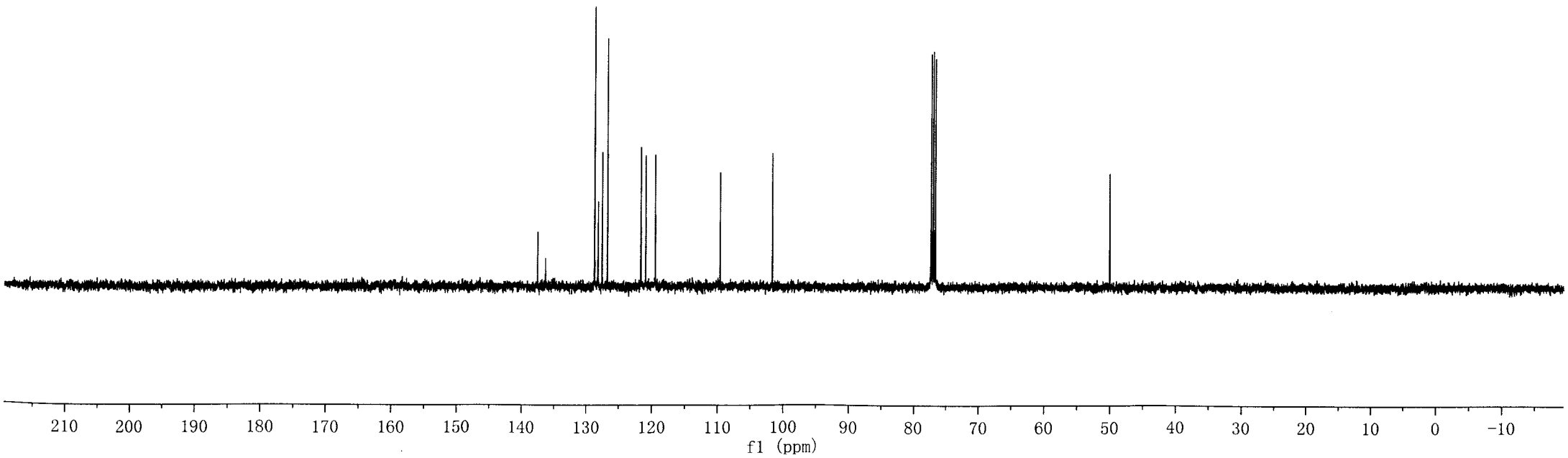
1j

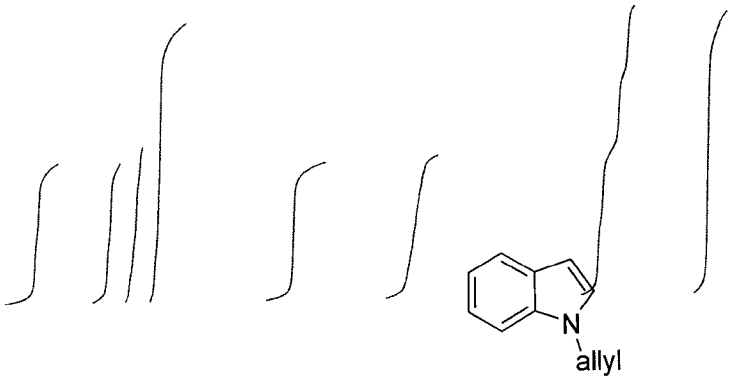

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


N
Bn
1k
0.95
3.94
5.00
0.97
2.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

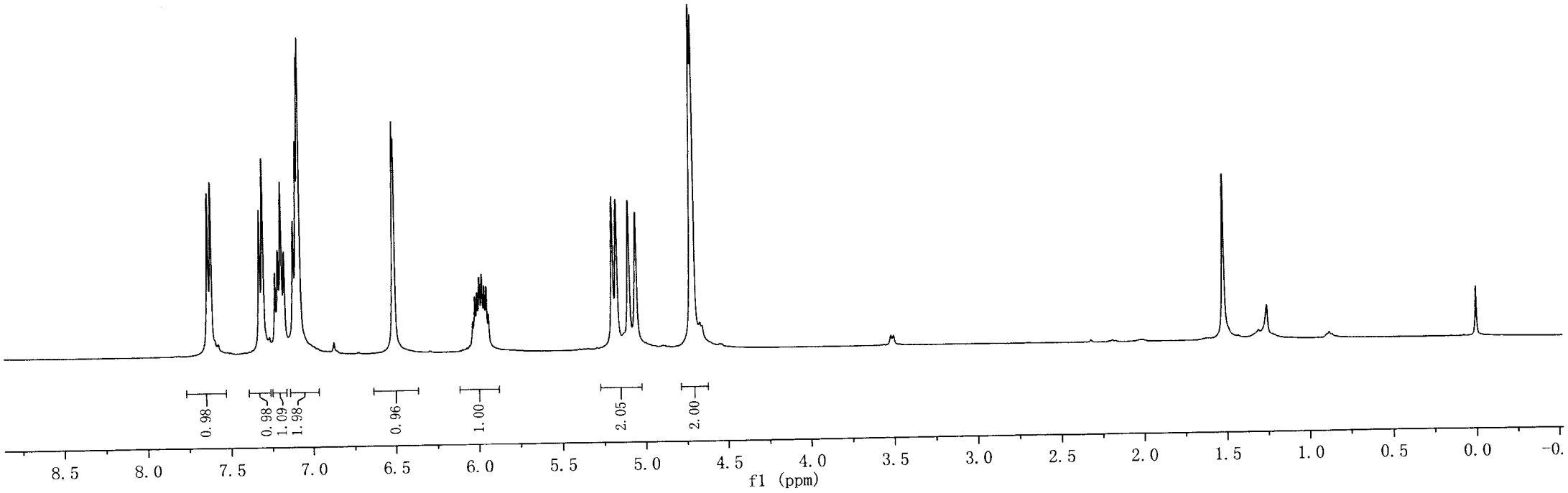

N
Bn

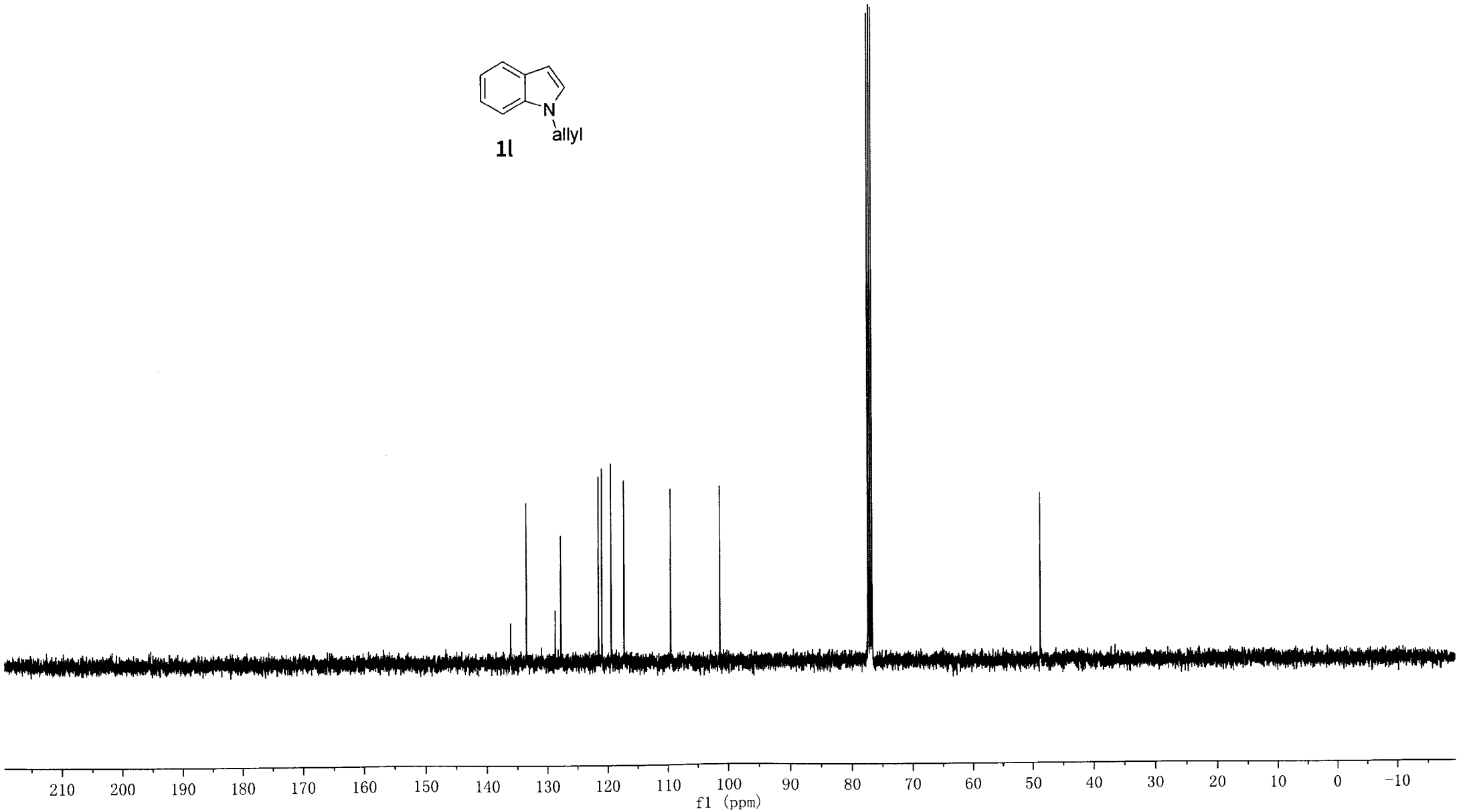
1k

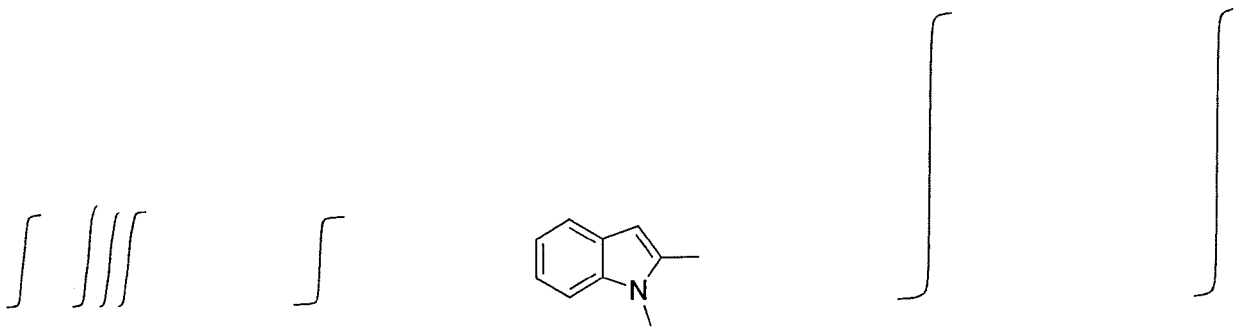

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


N
allyl

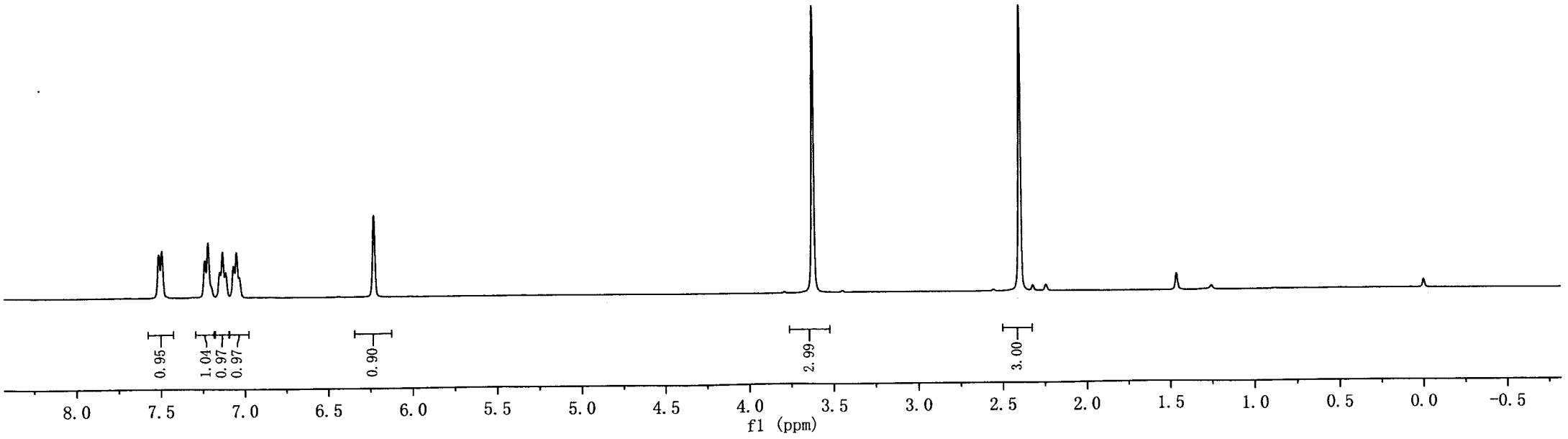
1l

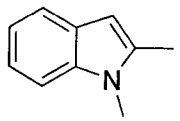

0.98
0.98
1.09
1.98
0.96
1.00
2.05
2.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.
f1 (ppm)


N
allyl
1l
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

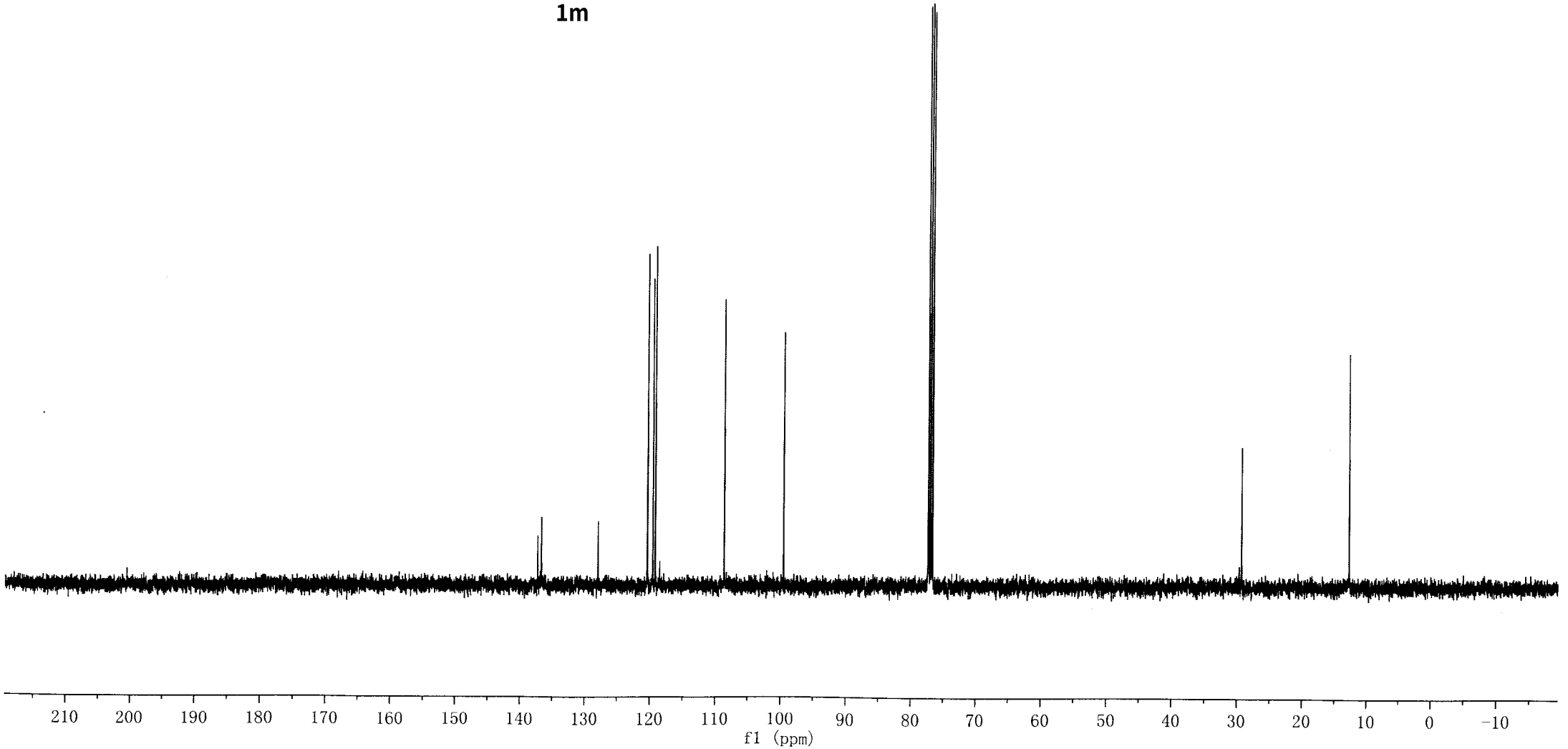


1m


0.95
1.04
0.97
0.97
0.90
2.99
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

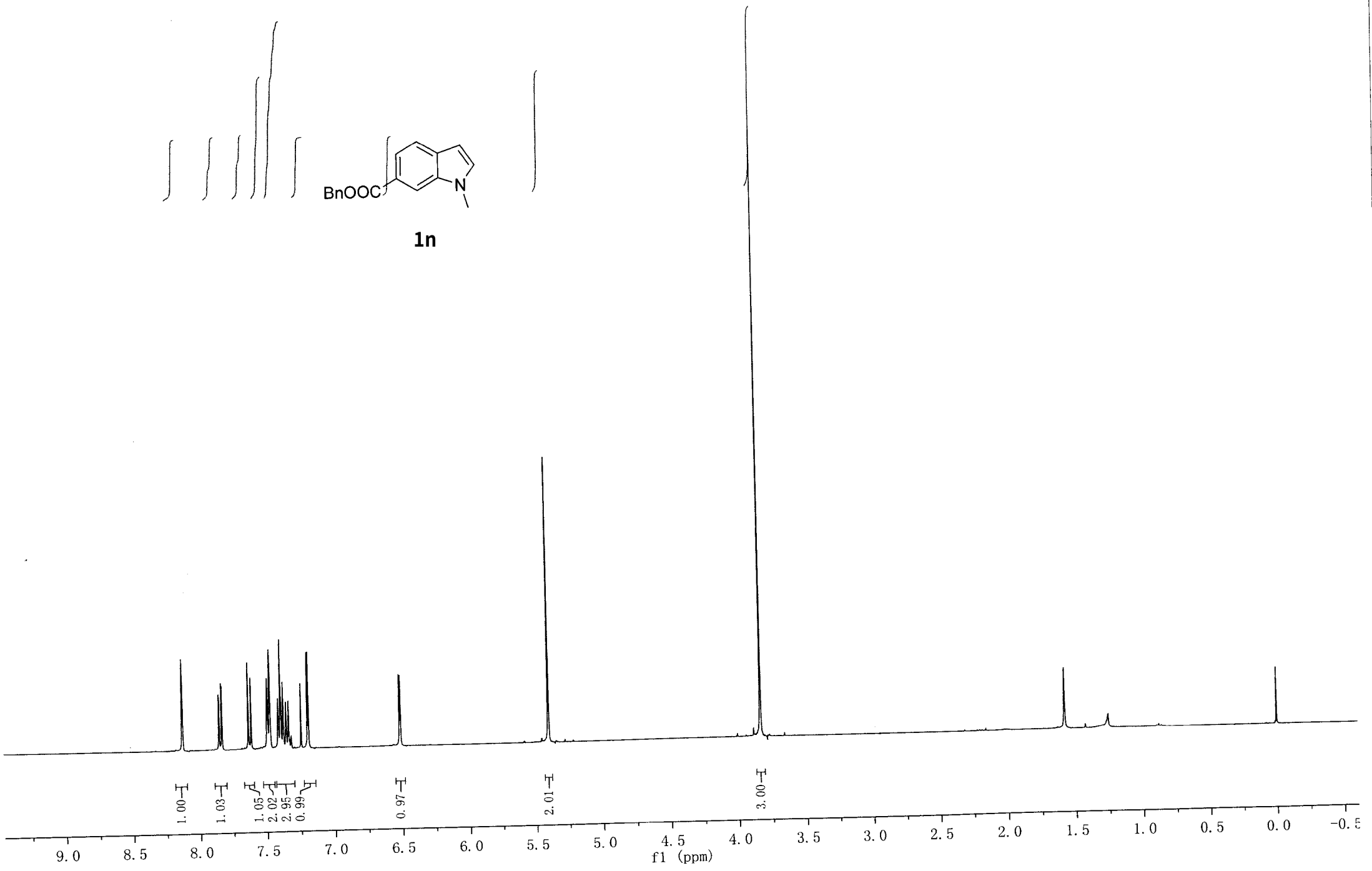


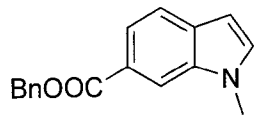
1m


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

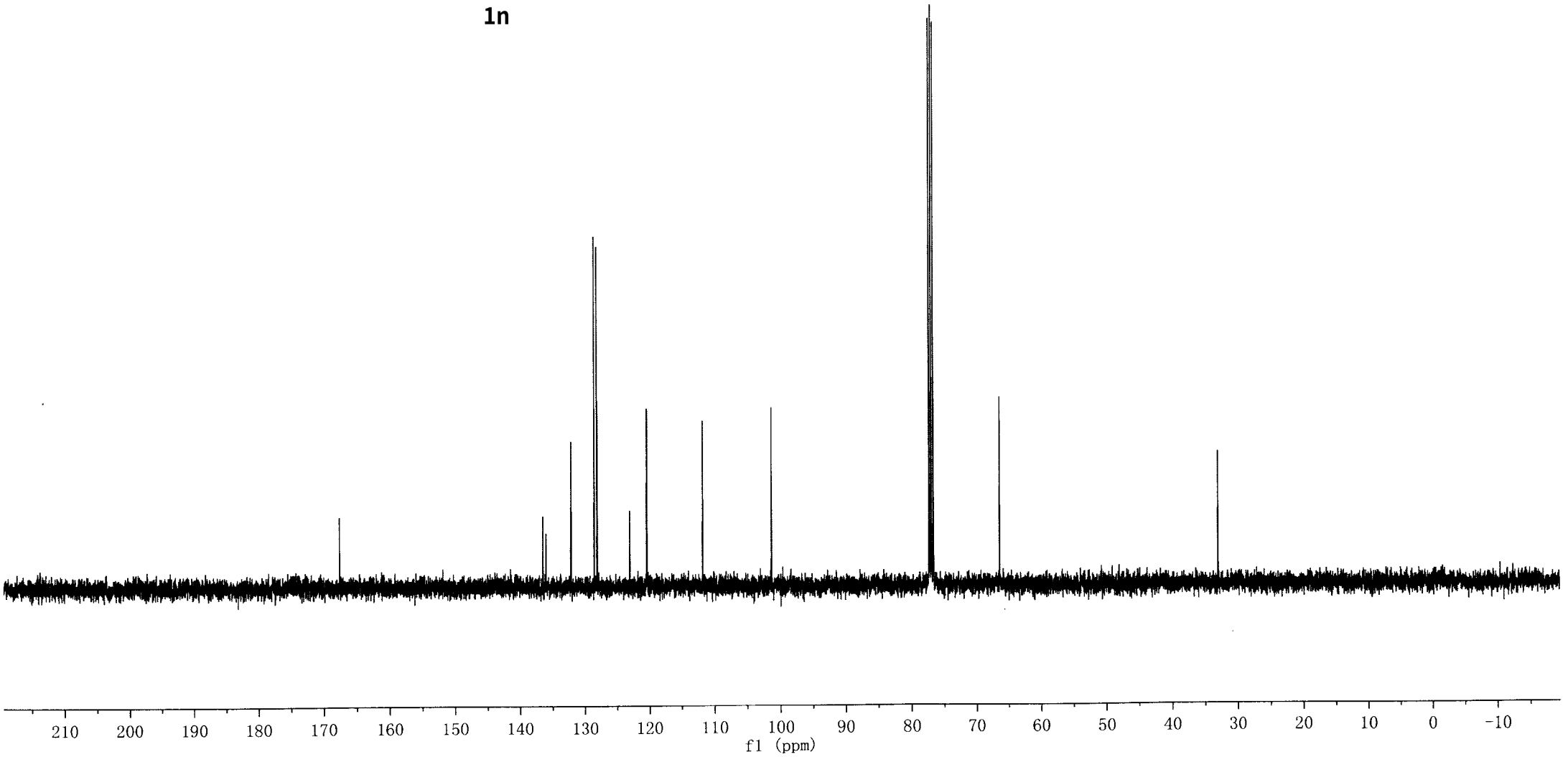
BnOOC
N

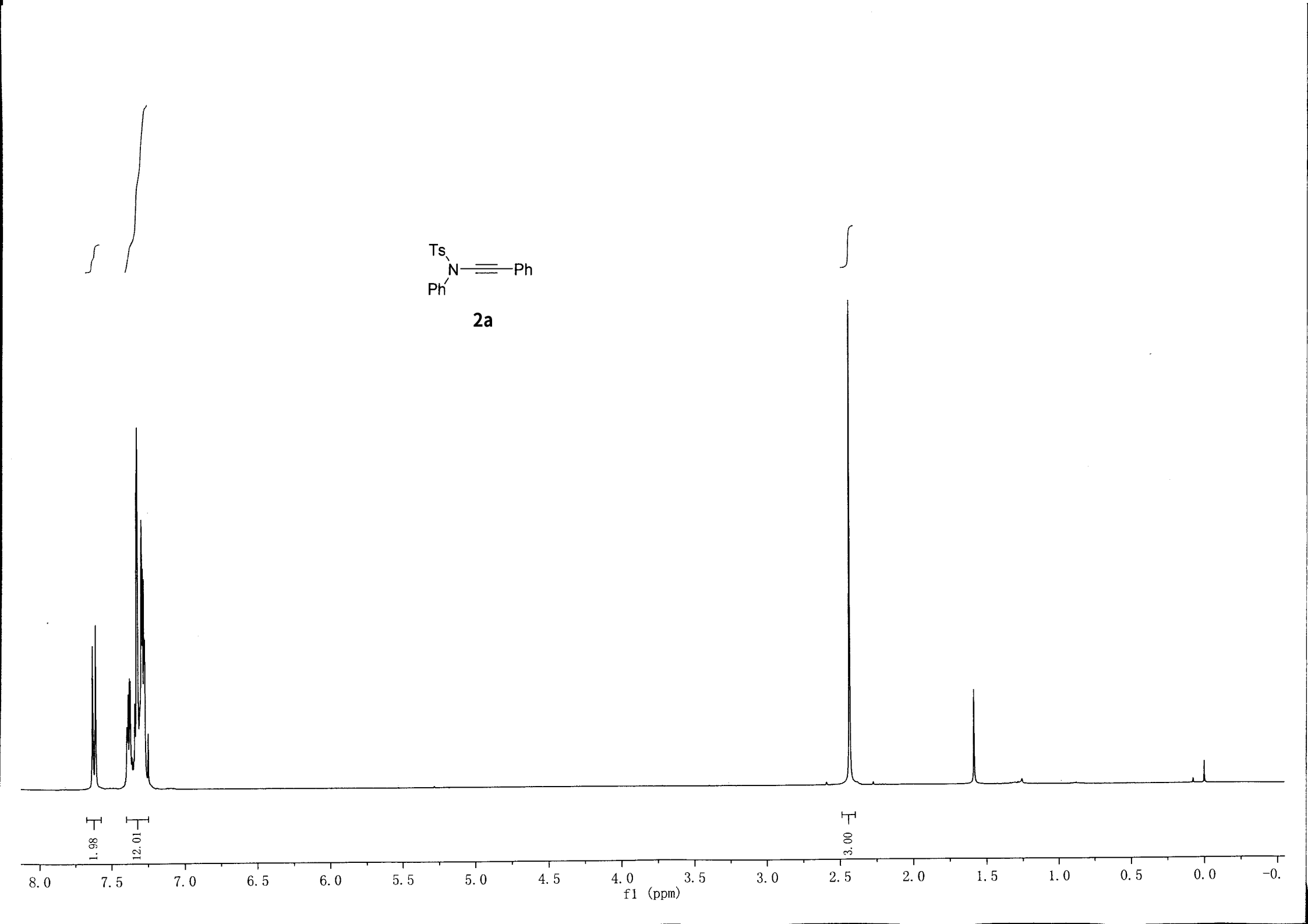
1n

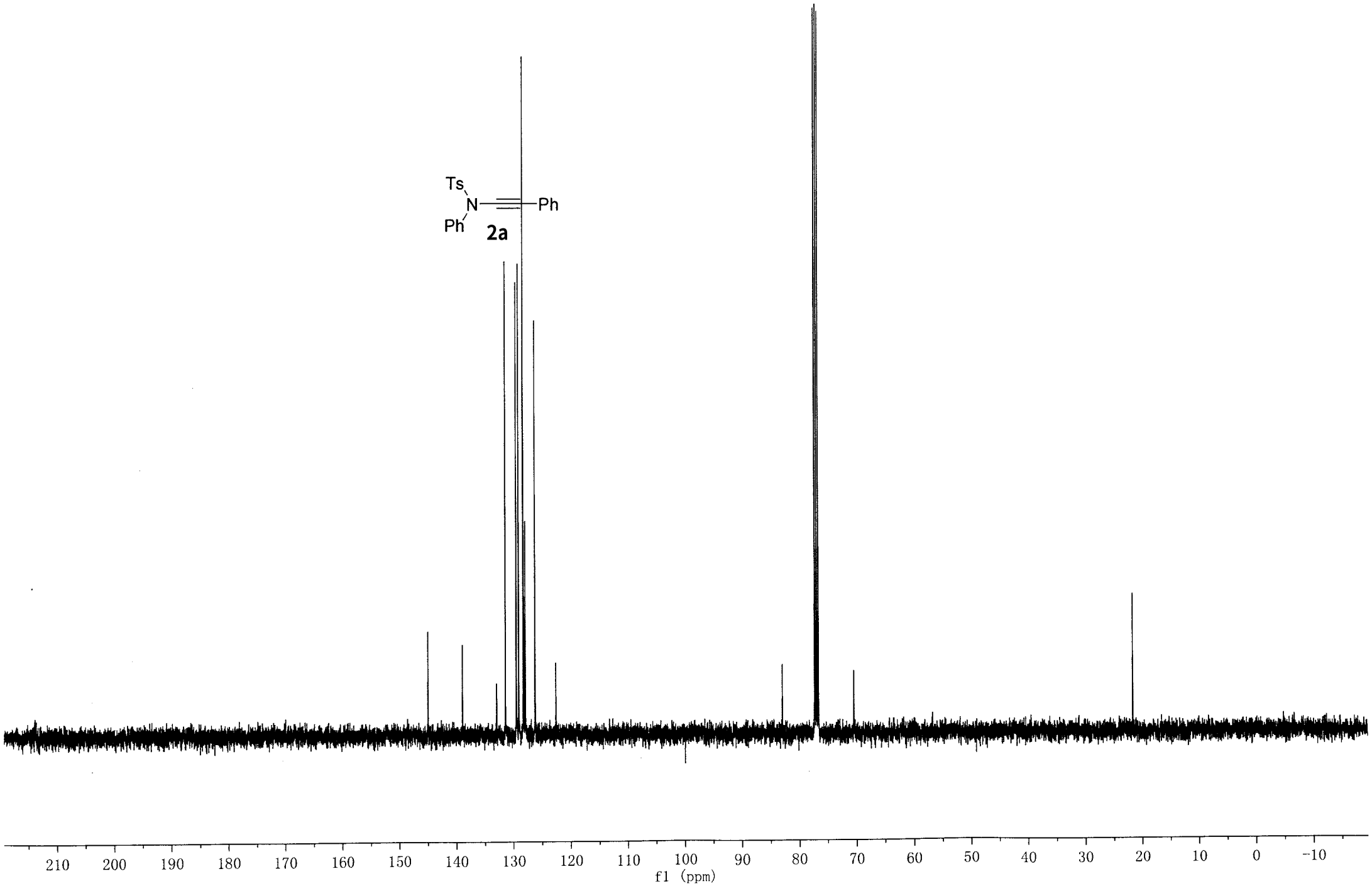

1.00
1.03
1.05
2.02
2.95
0.99
0.97
2.01
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

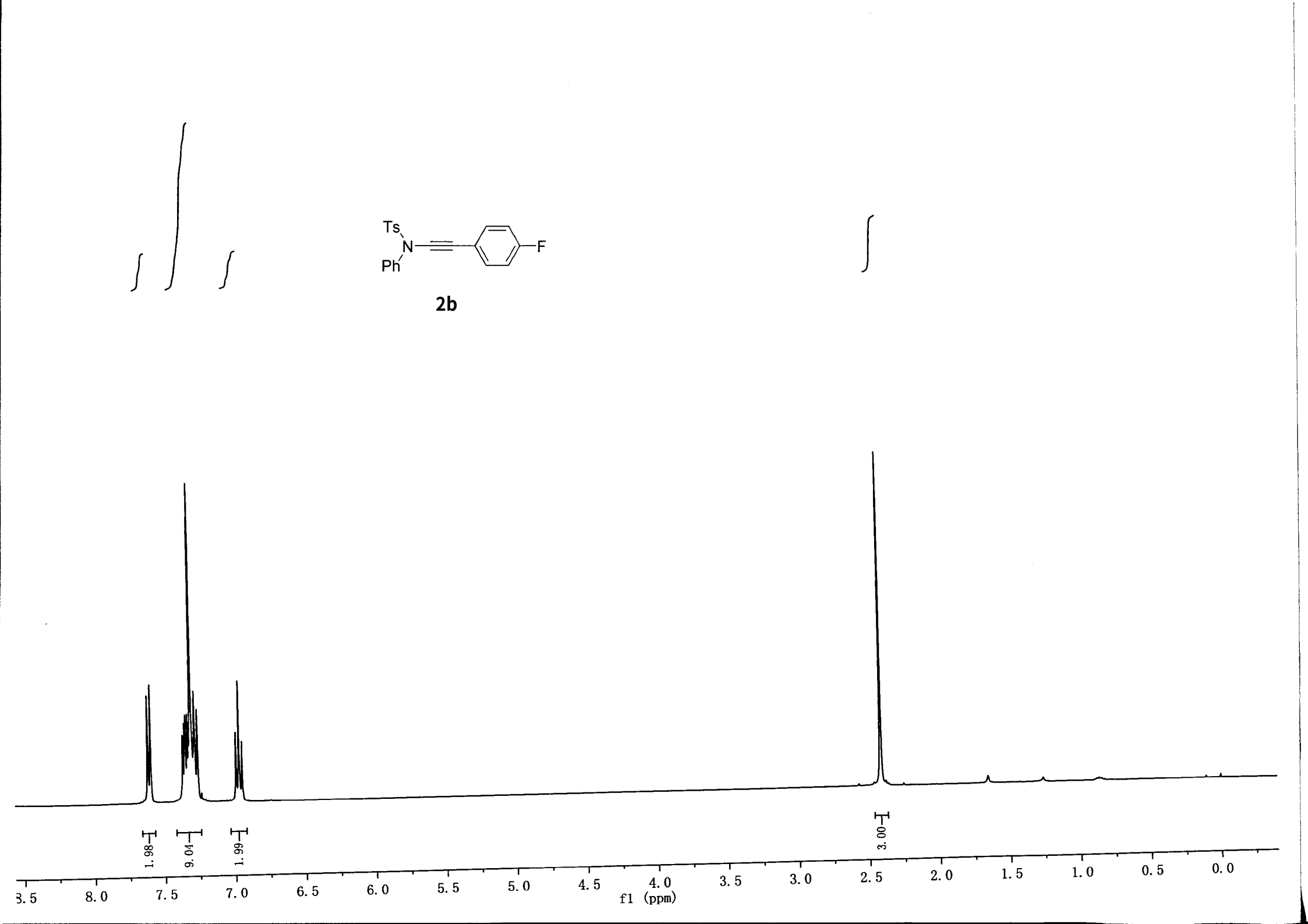

BnOOC
N

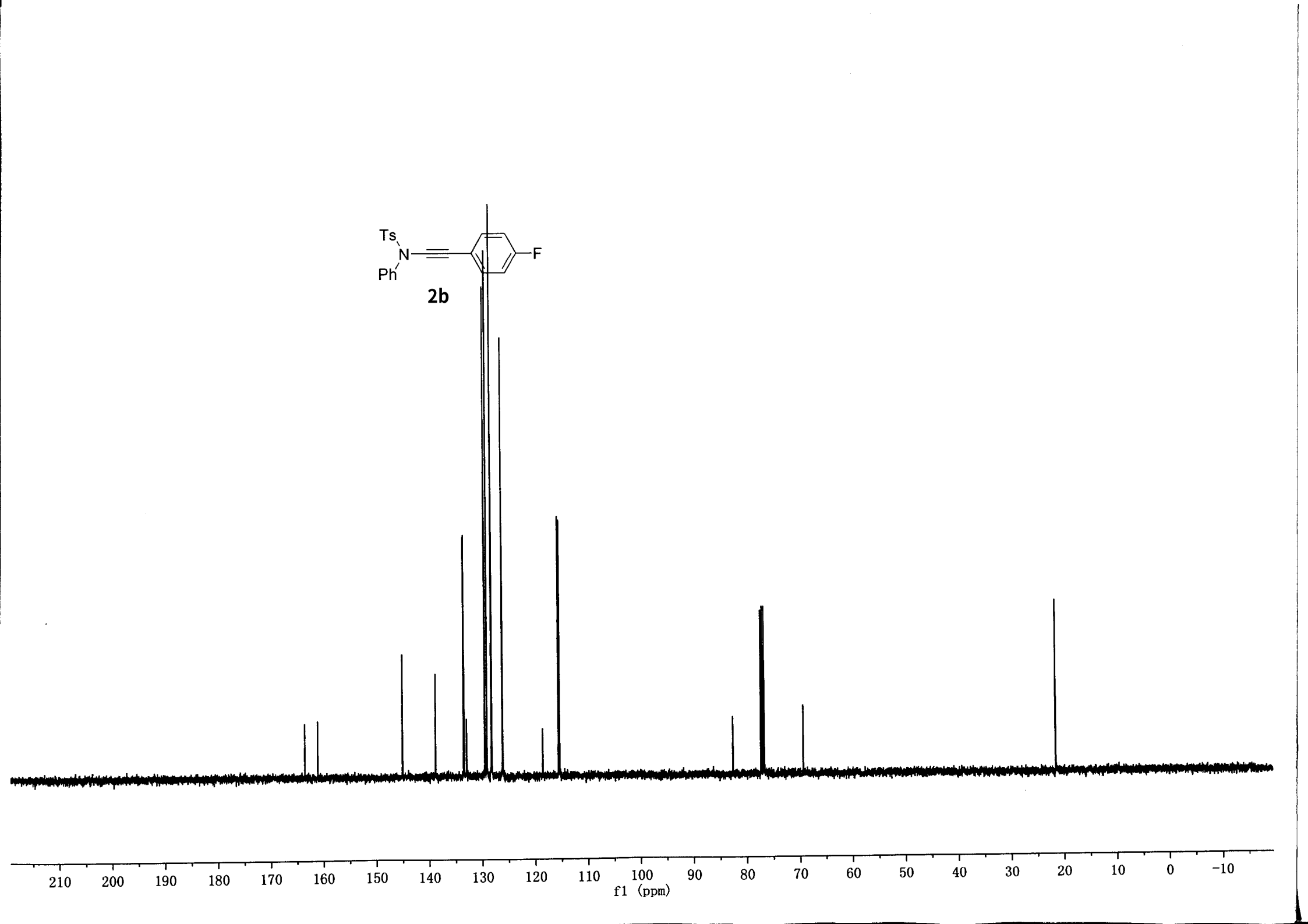
1n

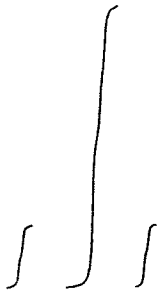

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

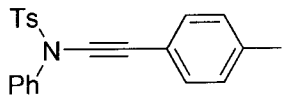

Ts
N
Ph
Ph
2a
1.98
12.01
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.
f1 (ppm)


Ts
N
Ph
Ph
2a
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

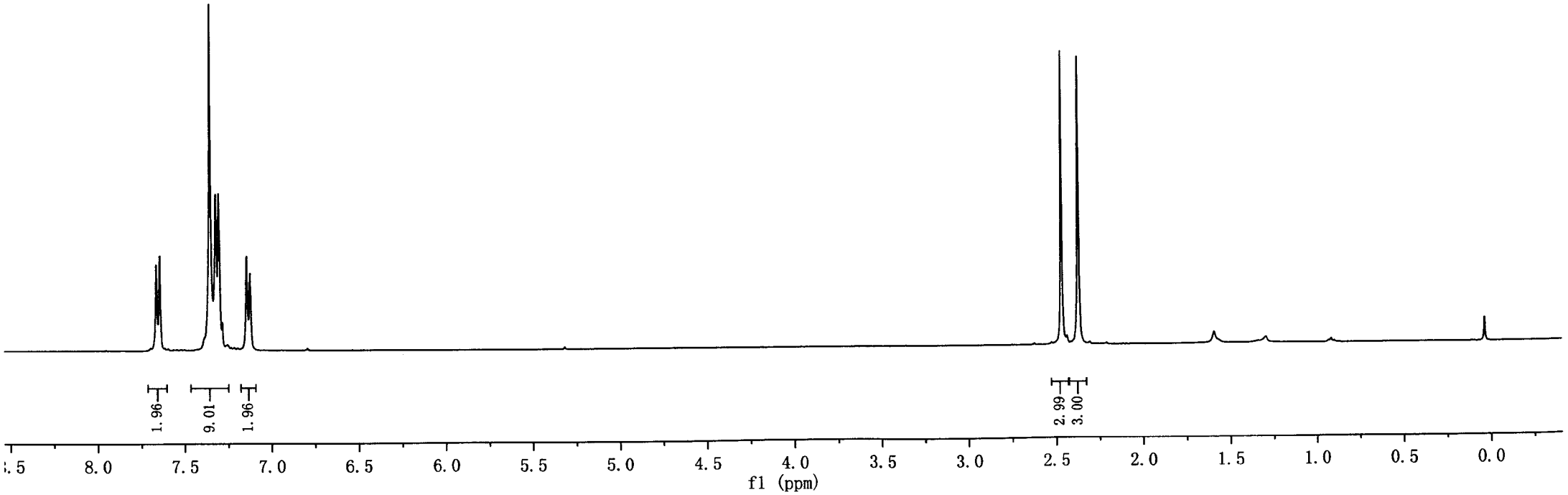

Ts
N
Ph
F
2b
1.98
9.04
1.99
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

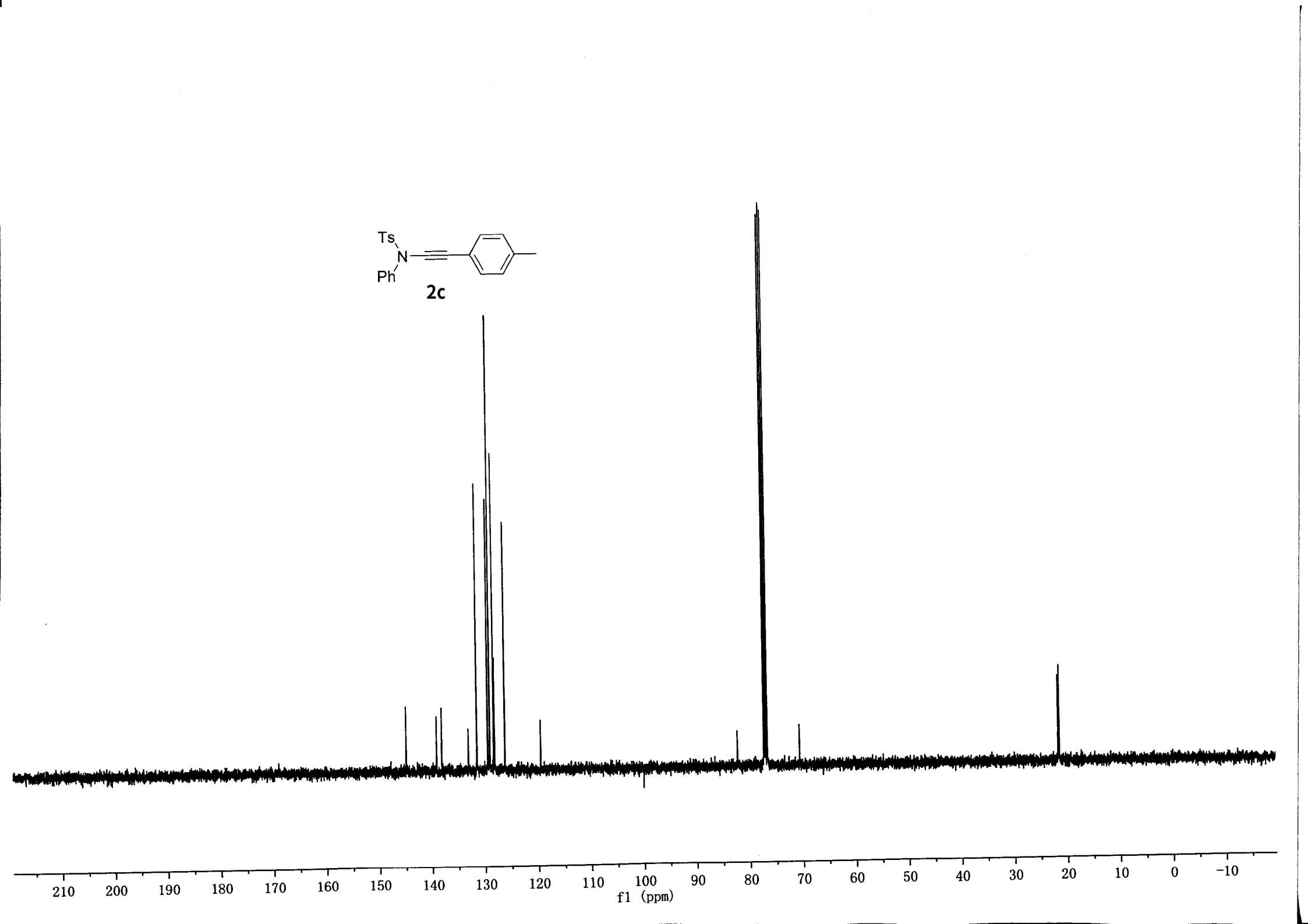

Ts
N
Ph
F
2b
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

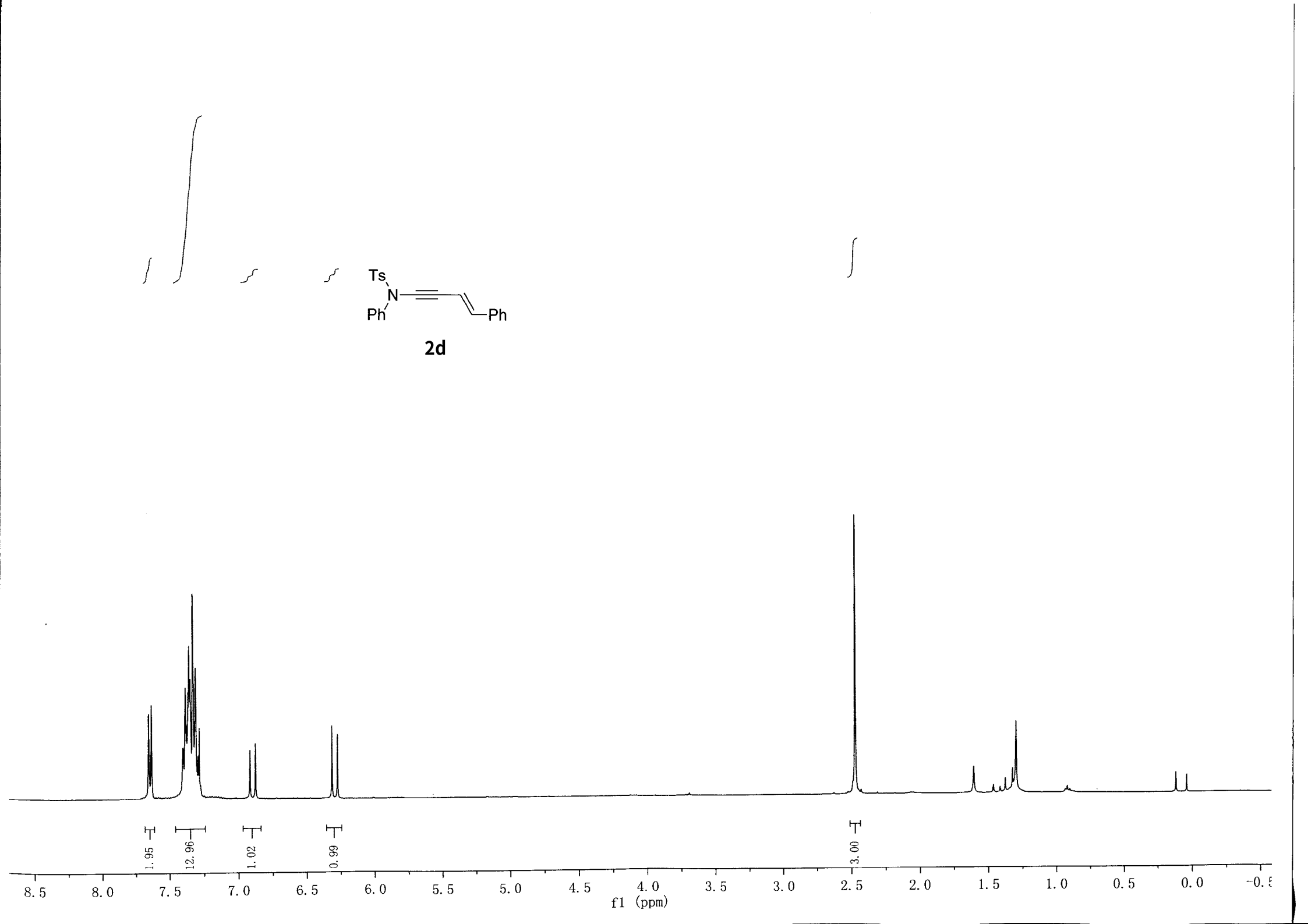


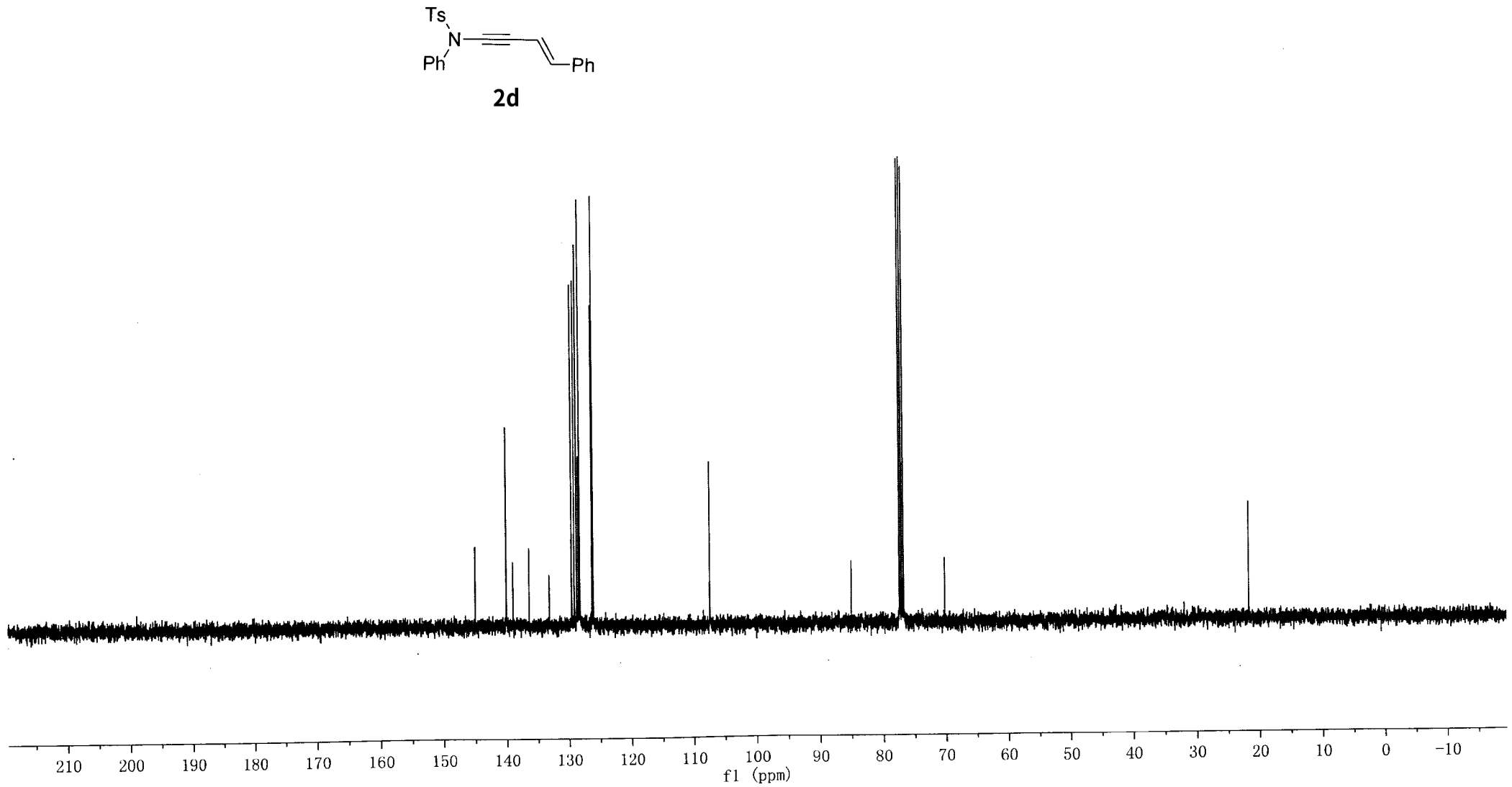

Ts
N
Ph

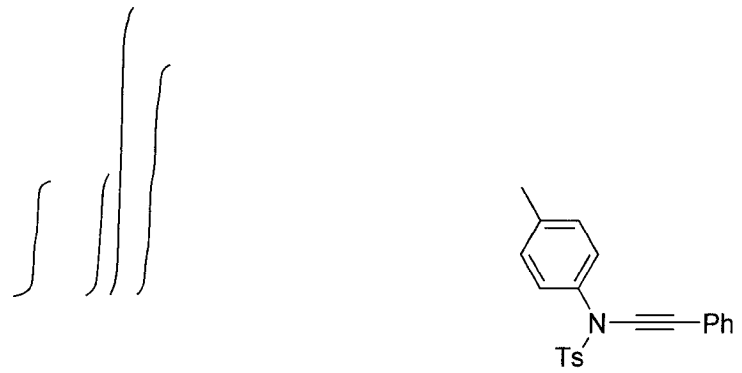
2c


1.96
9.01
1.96
2.99
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

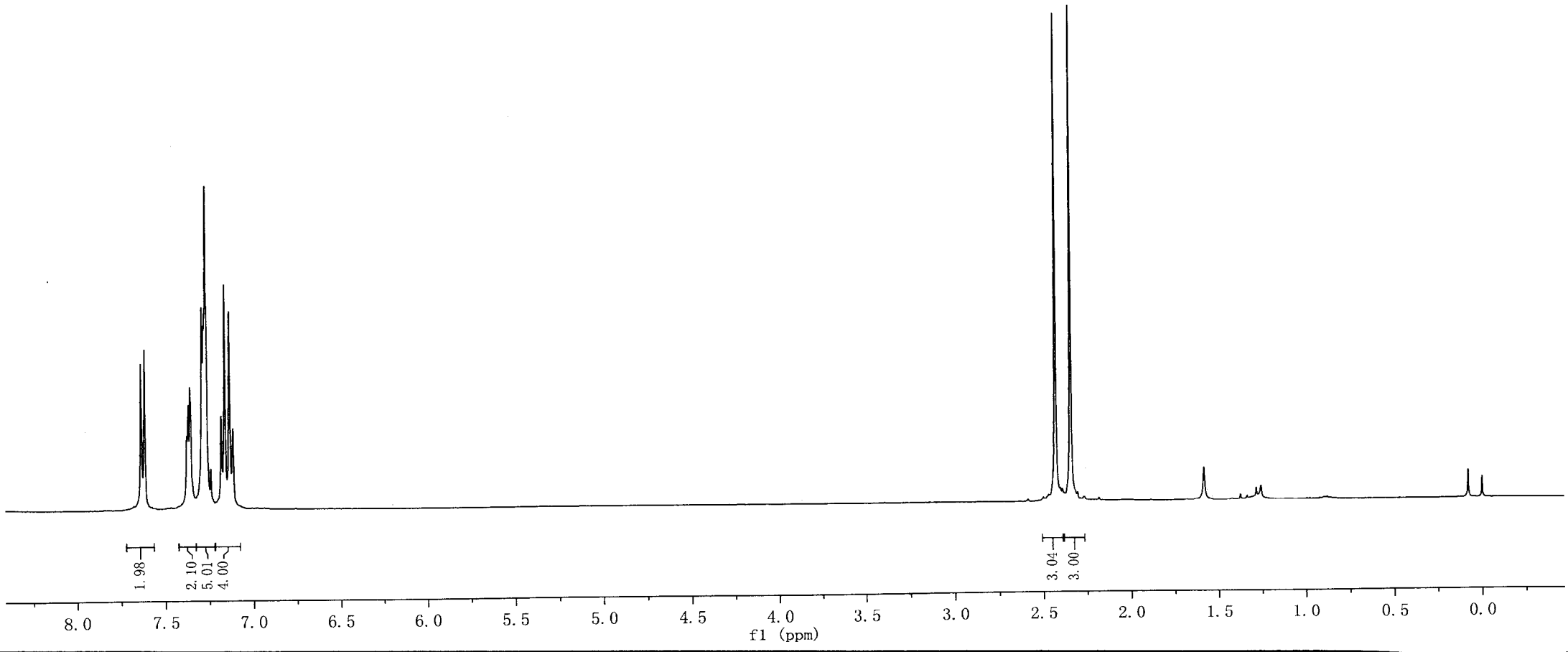

Ts
N
Ph
2c
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

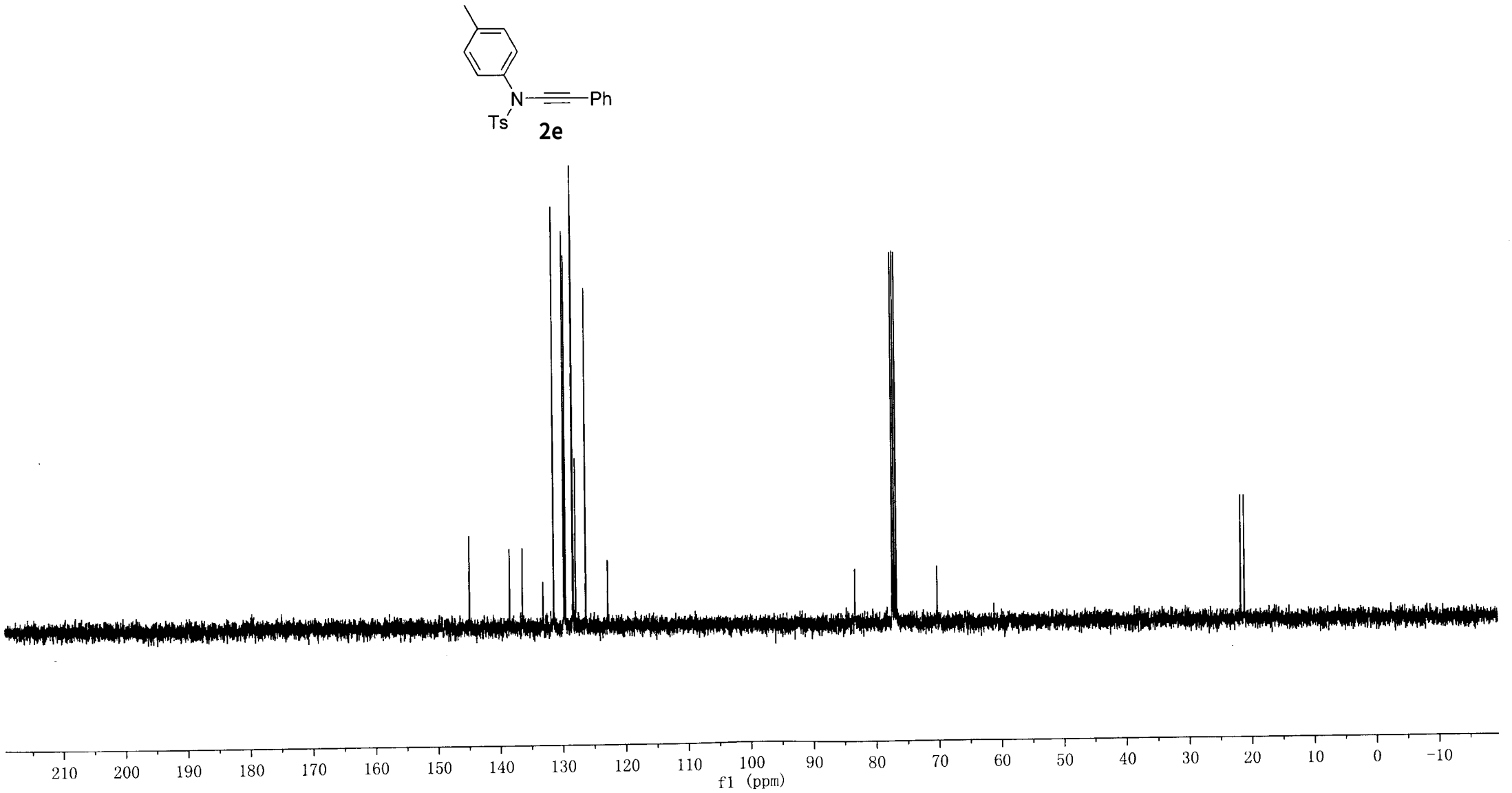

Ts
N
Ph
Ph
2d
1.95
12.96
1.02
0.99
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

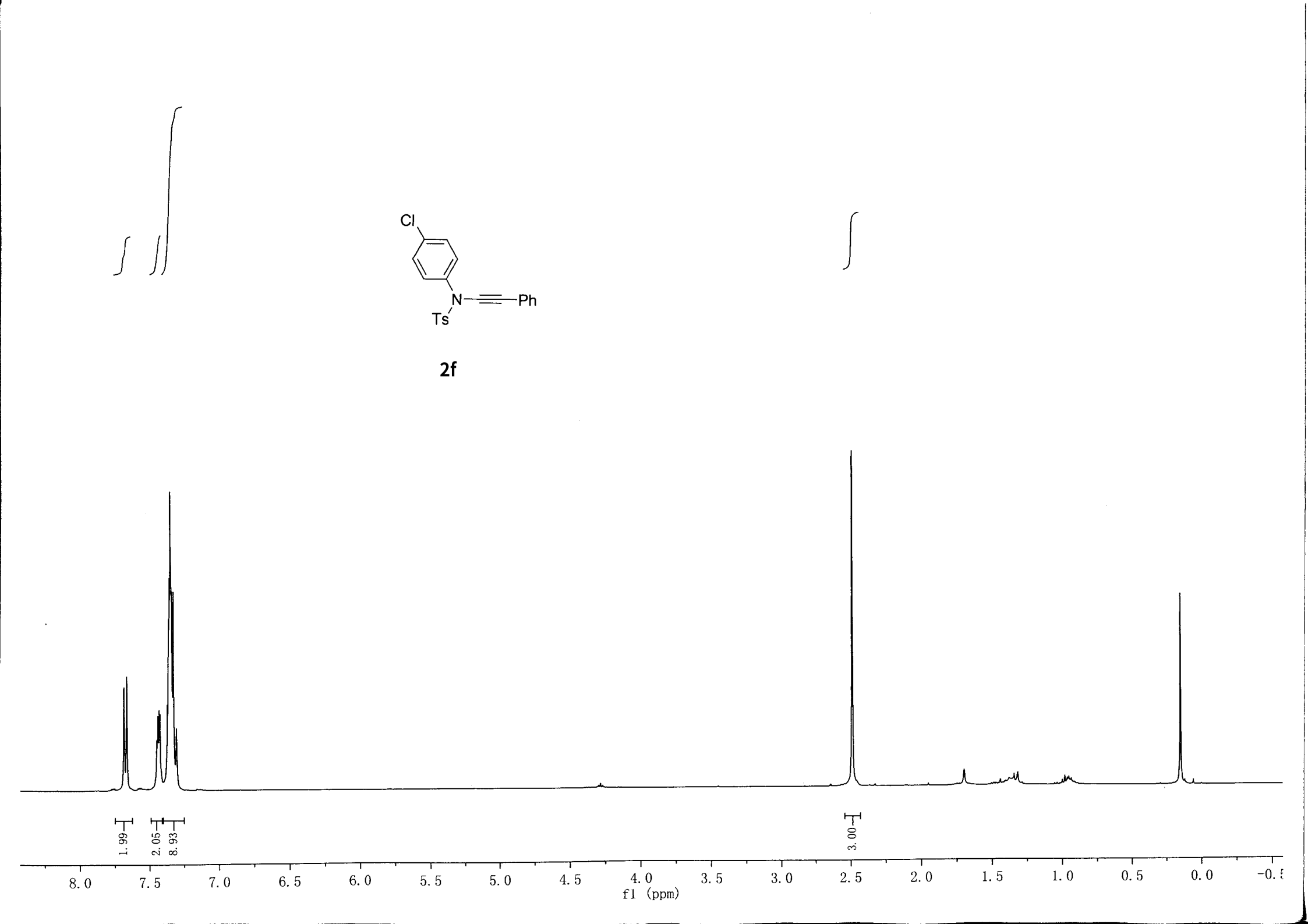

Ts
N
Ph
Ph
2d
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


N
Ph
Ts

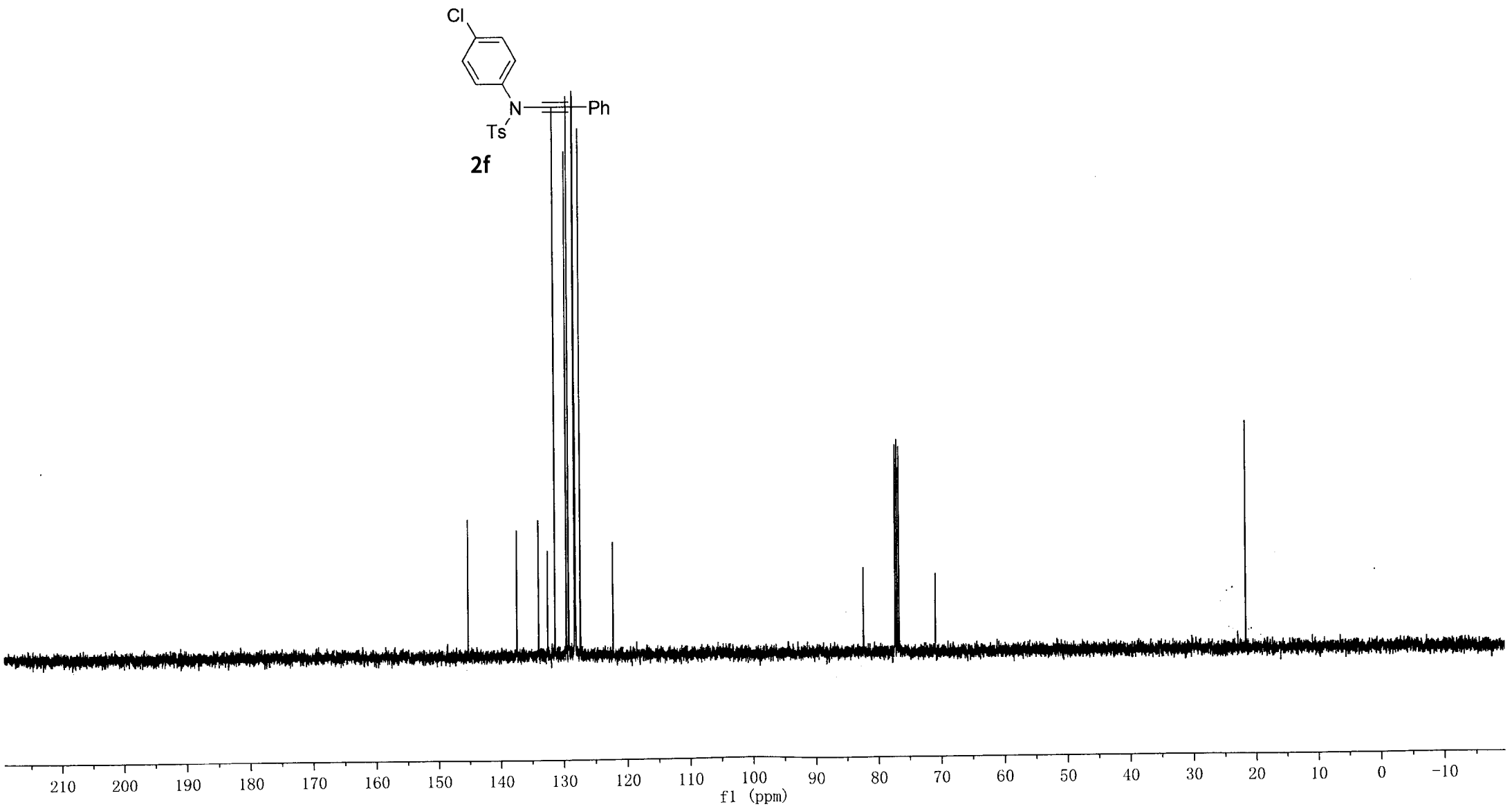
2e

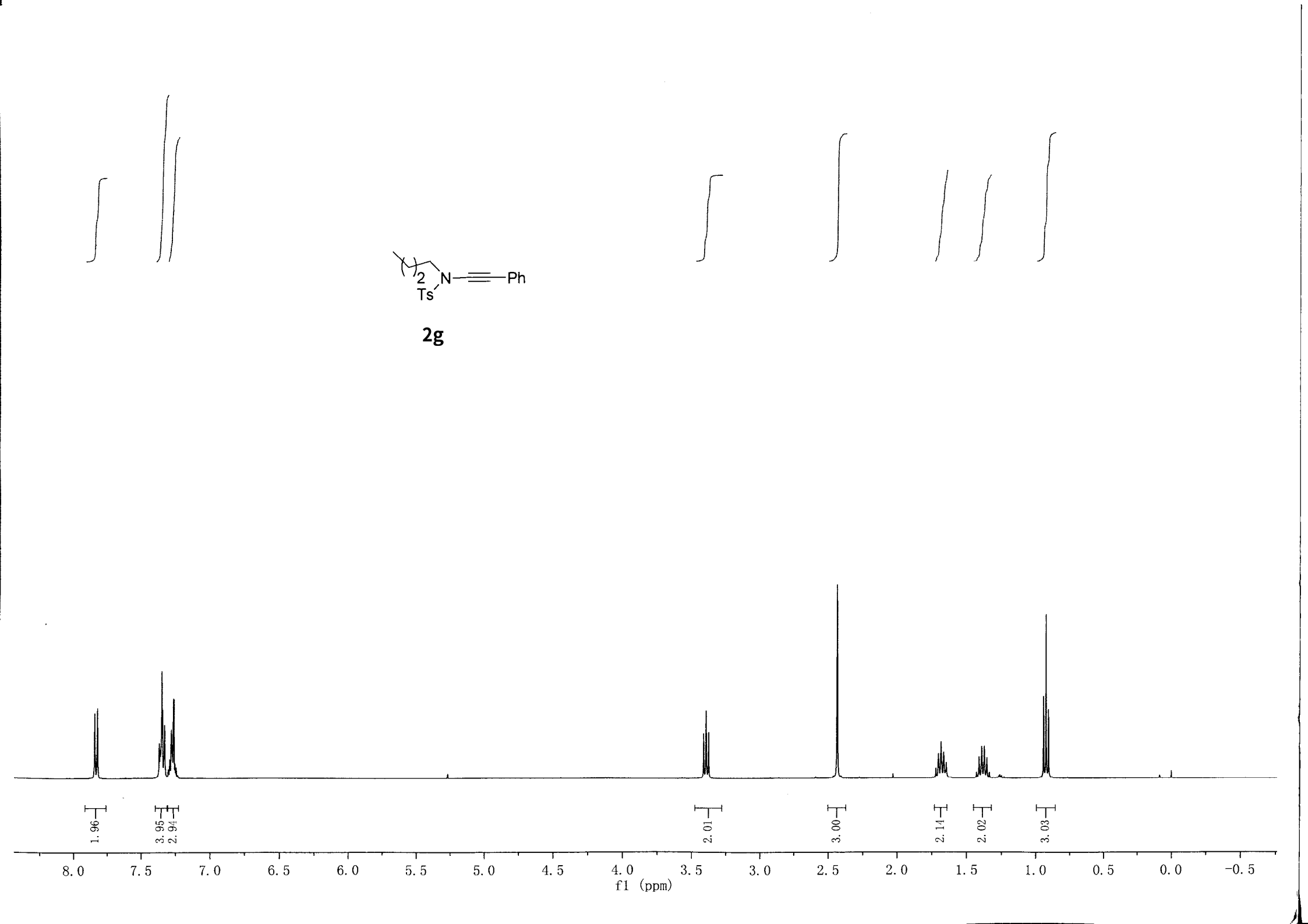

1.98
2.10
5.01
4.00
3.04
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


N
Ph
Ts
2e
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

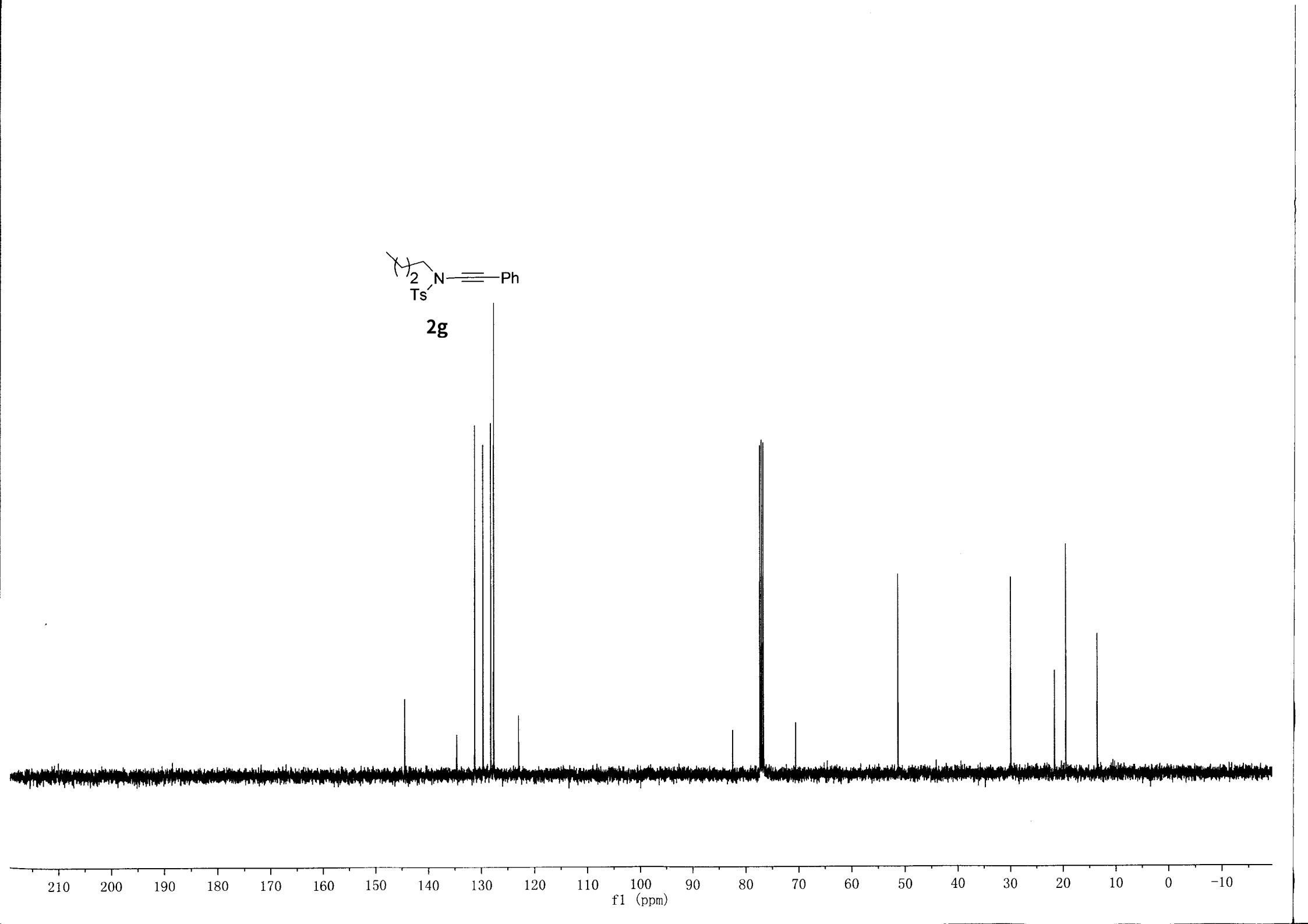

Cl
N
Ph
Ts
1.99
2.05
8.93
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

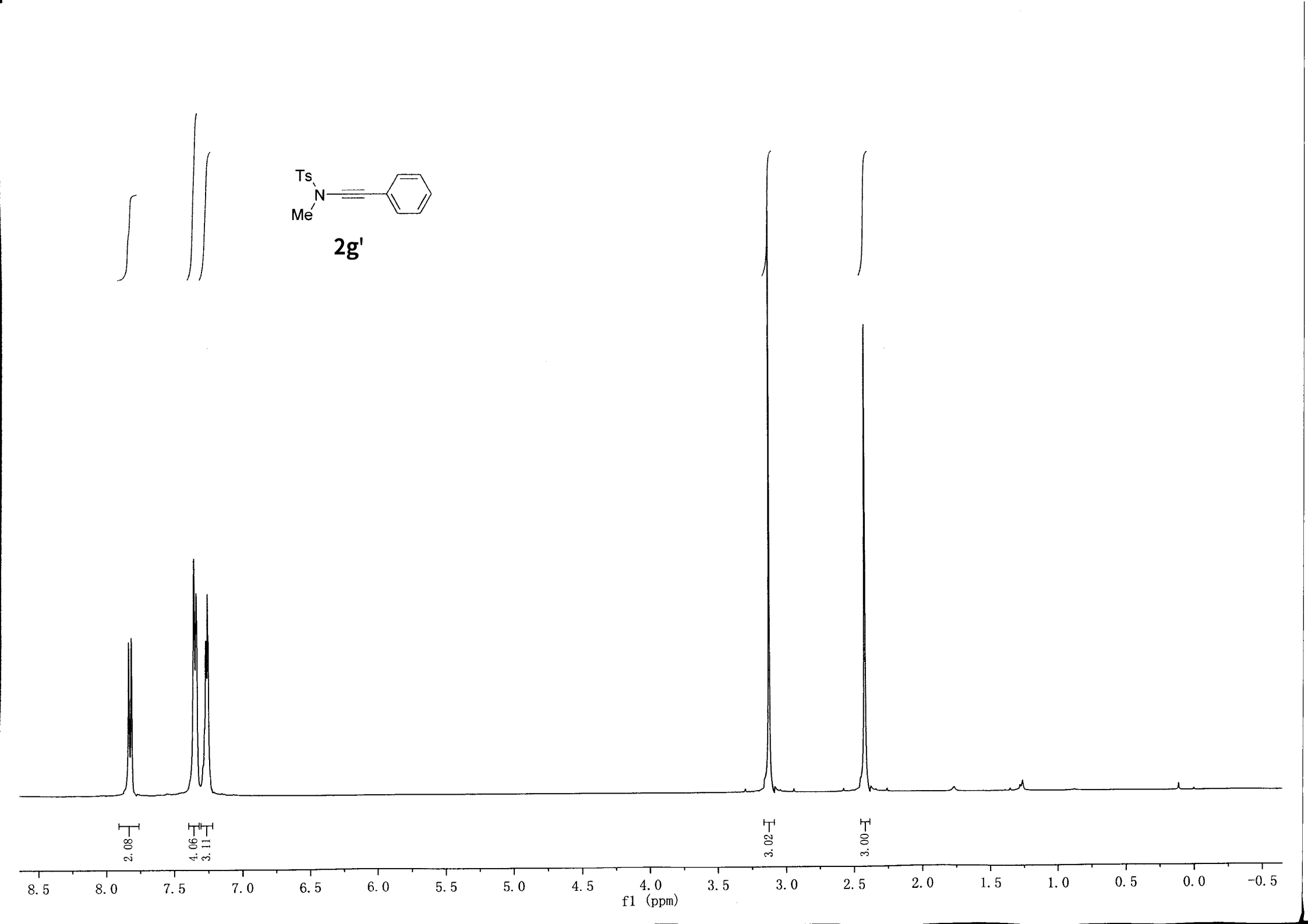
2f

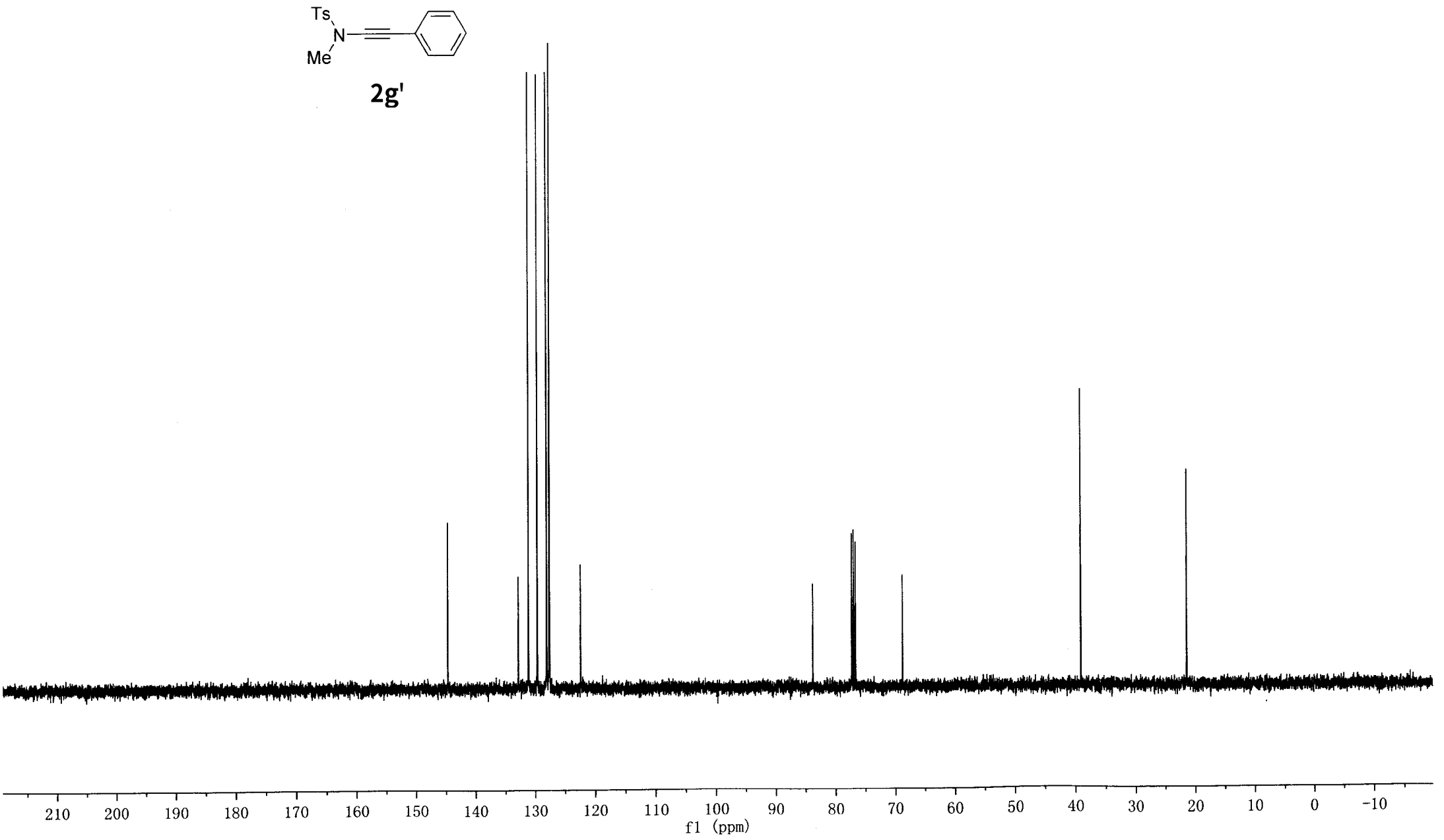

Cl
N
Ts
Ph
2f
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

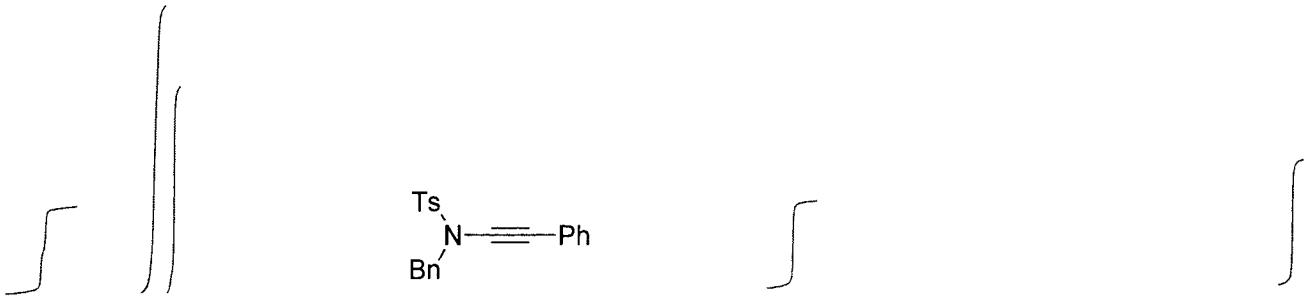

2
N
Ph
Ts
1.96
3.95
2.94
2.01
3.00
2.14
2.02
3.03
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

2g

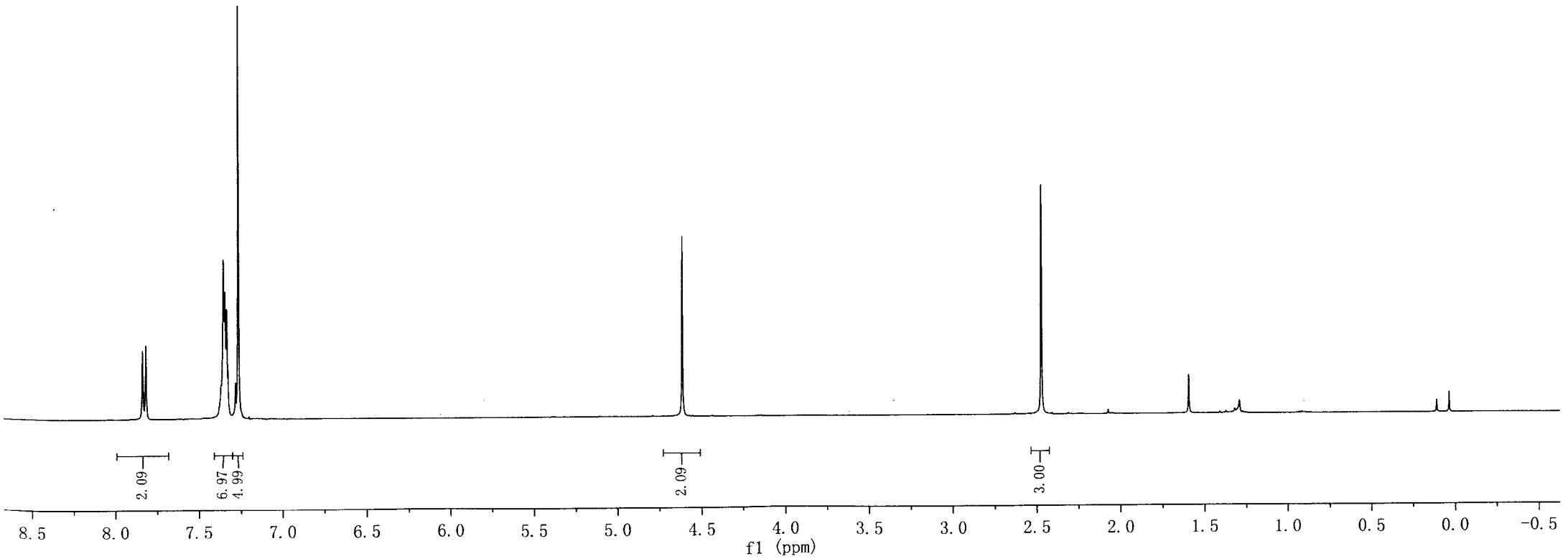

2
N
Ts
Ph
2g
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

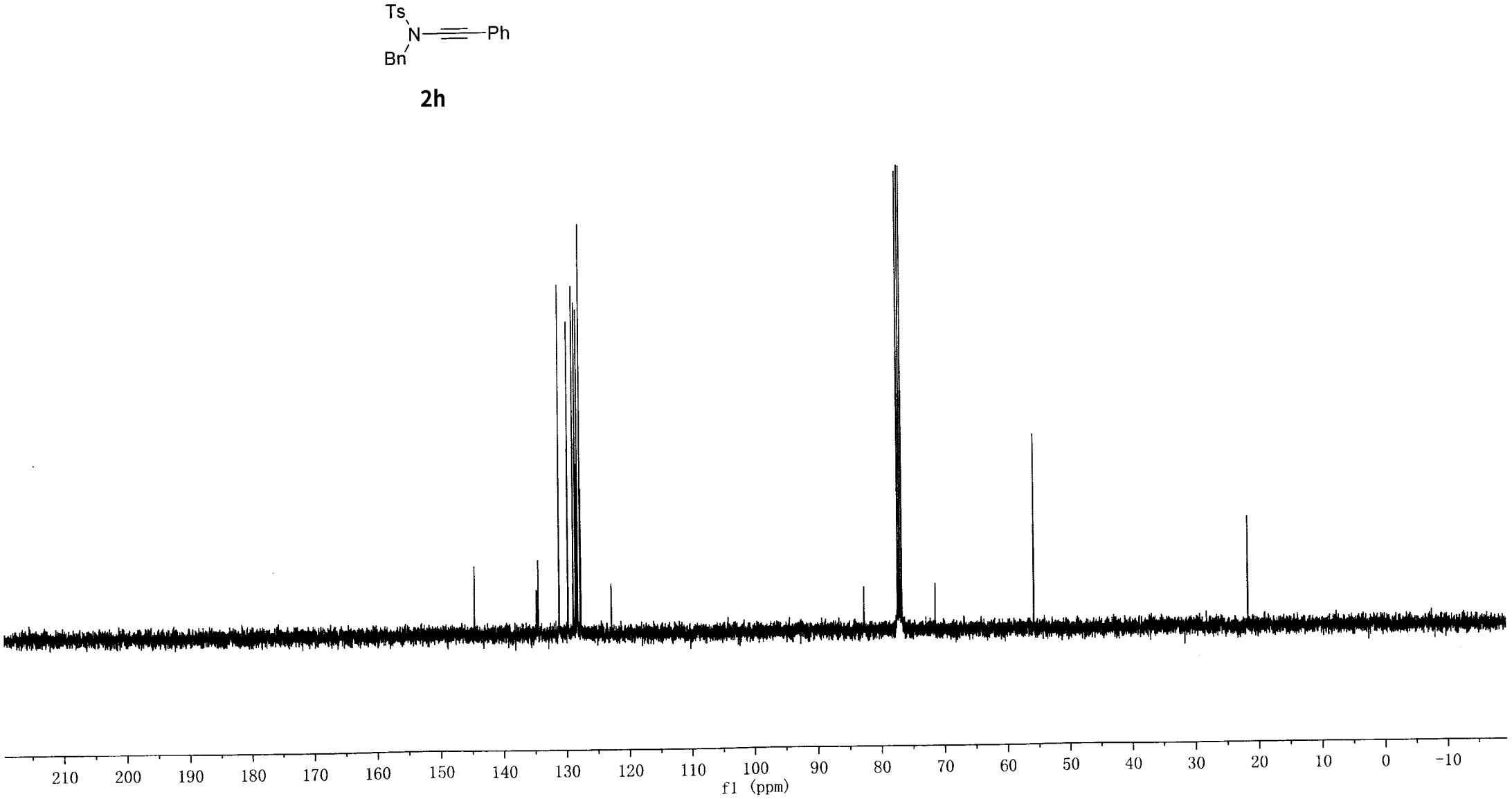

Ts
N
Me
2g'
2.08
4.06
3.11
3.02
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

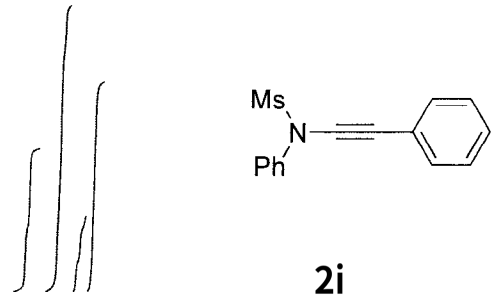

Ts
N
Me
2g'
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
Ph
Bn

2h

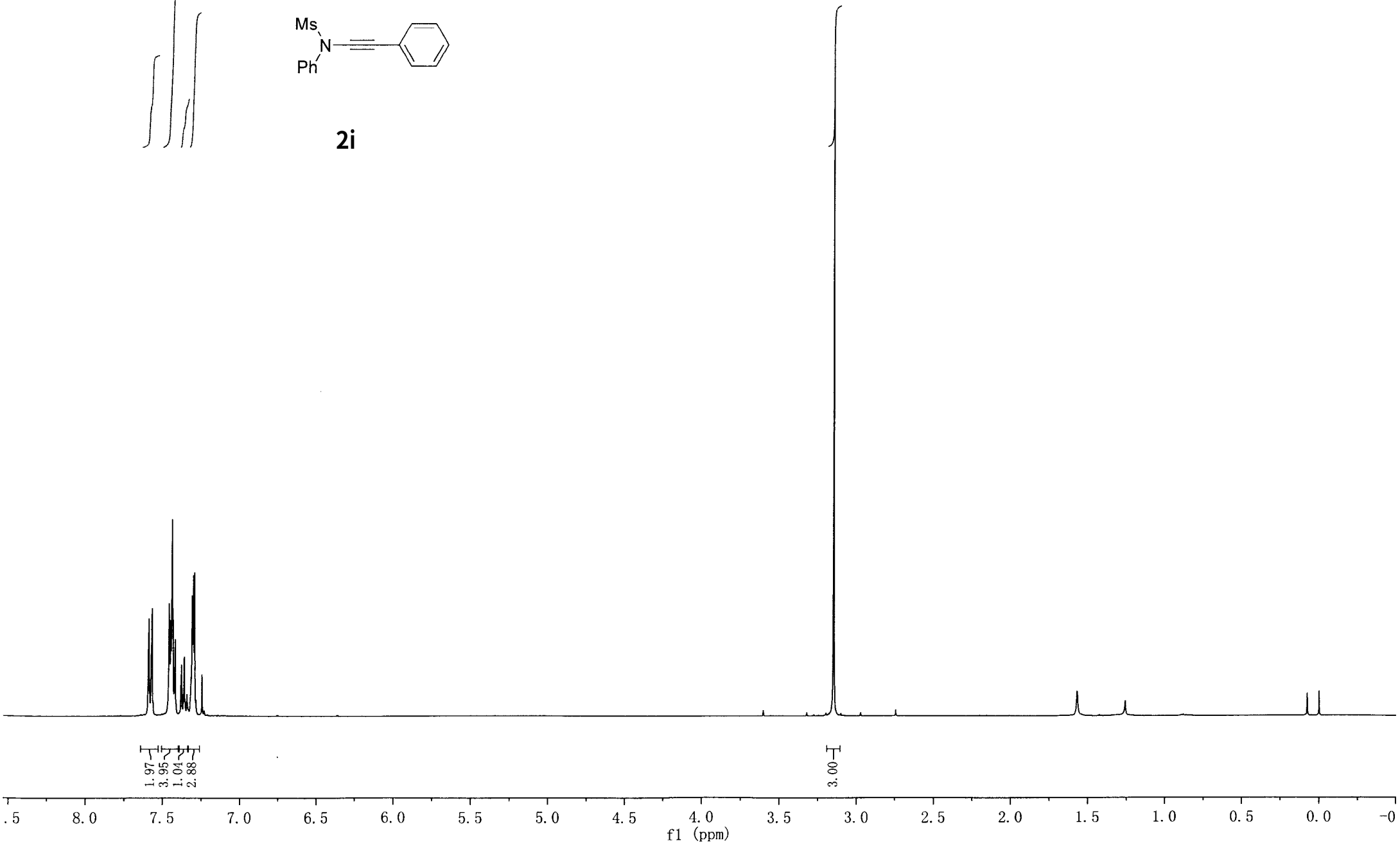

2.09
6.97
4.99
2.09
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

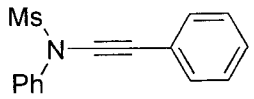

Ts
N
Ph
Bn
2h
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)



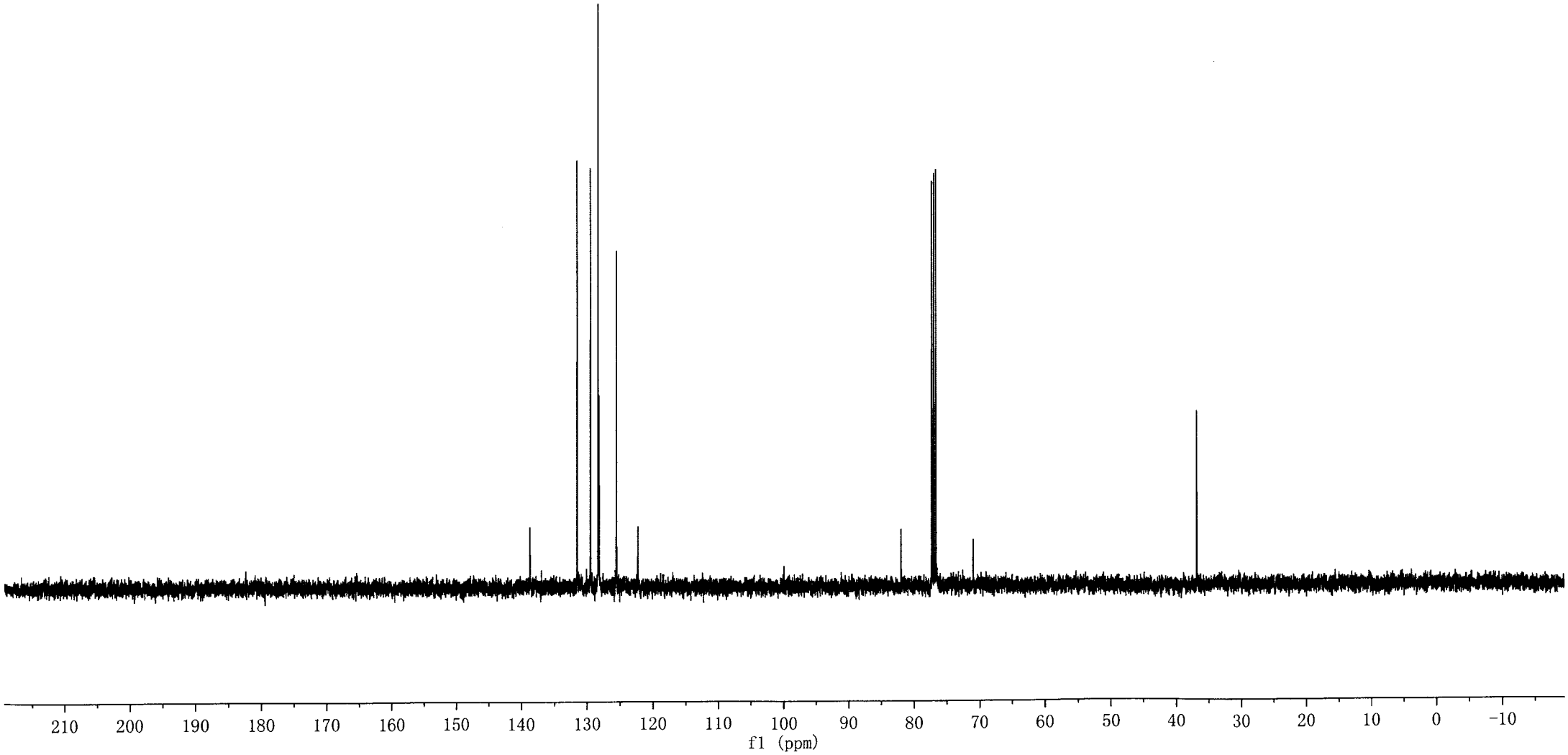
Ms
N
Ph

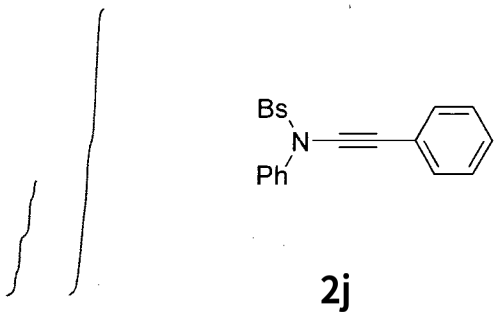
2i


1.97
3.95
1.04
2.88
3.00
.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0
f1 (ppm)

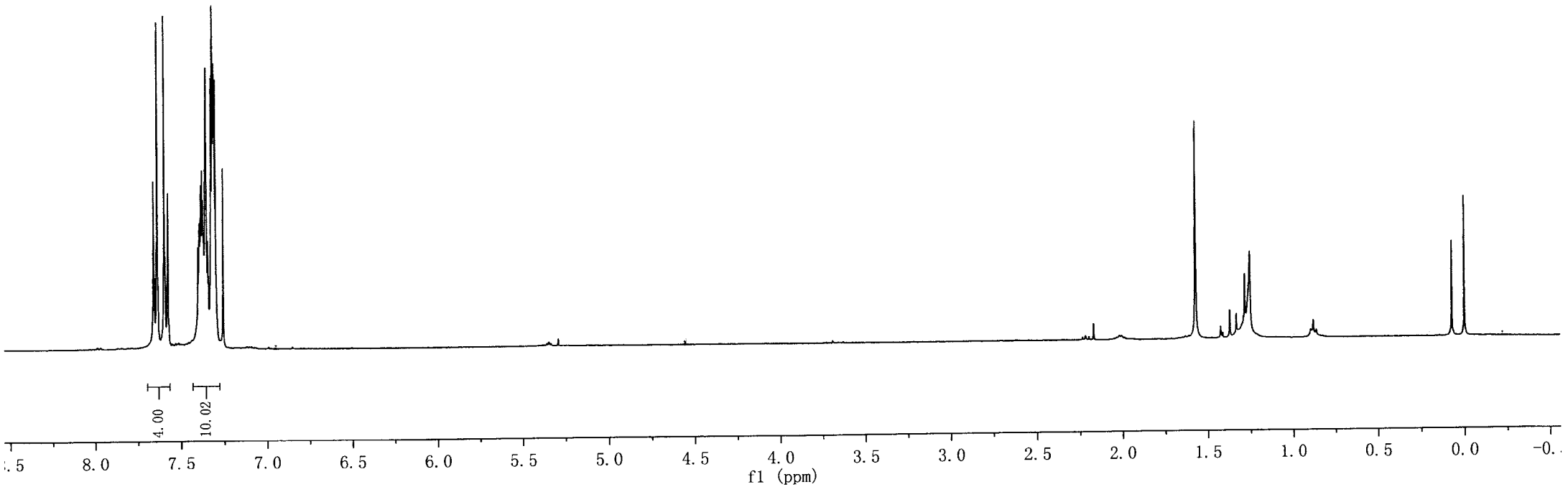

Ms
N
Ph

2i


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

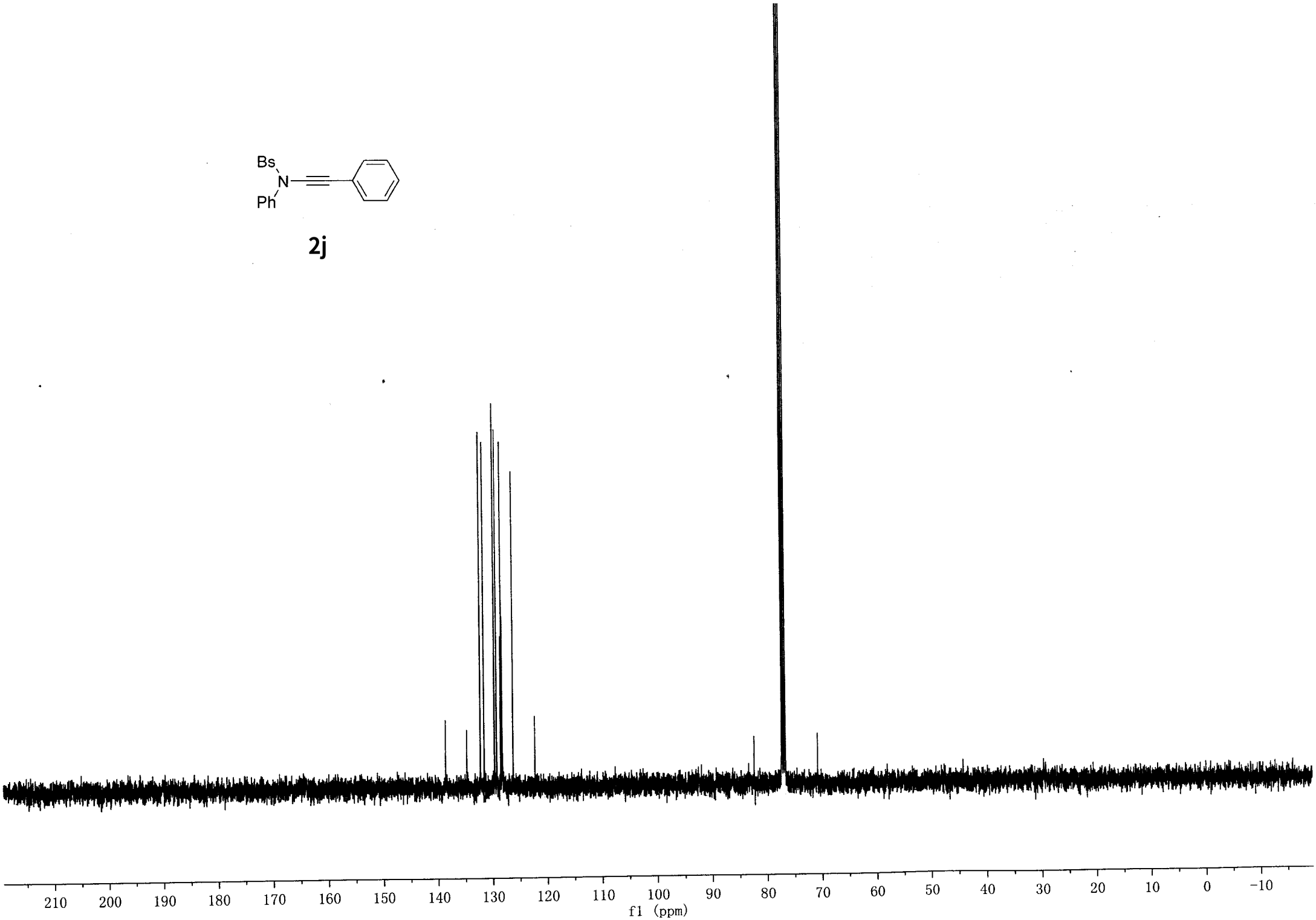

Bs
N
Ph

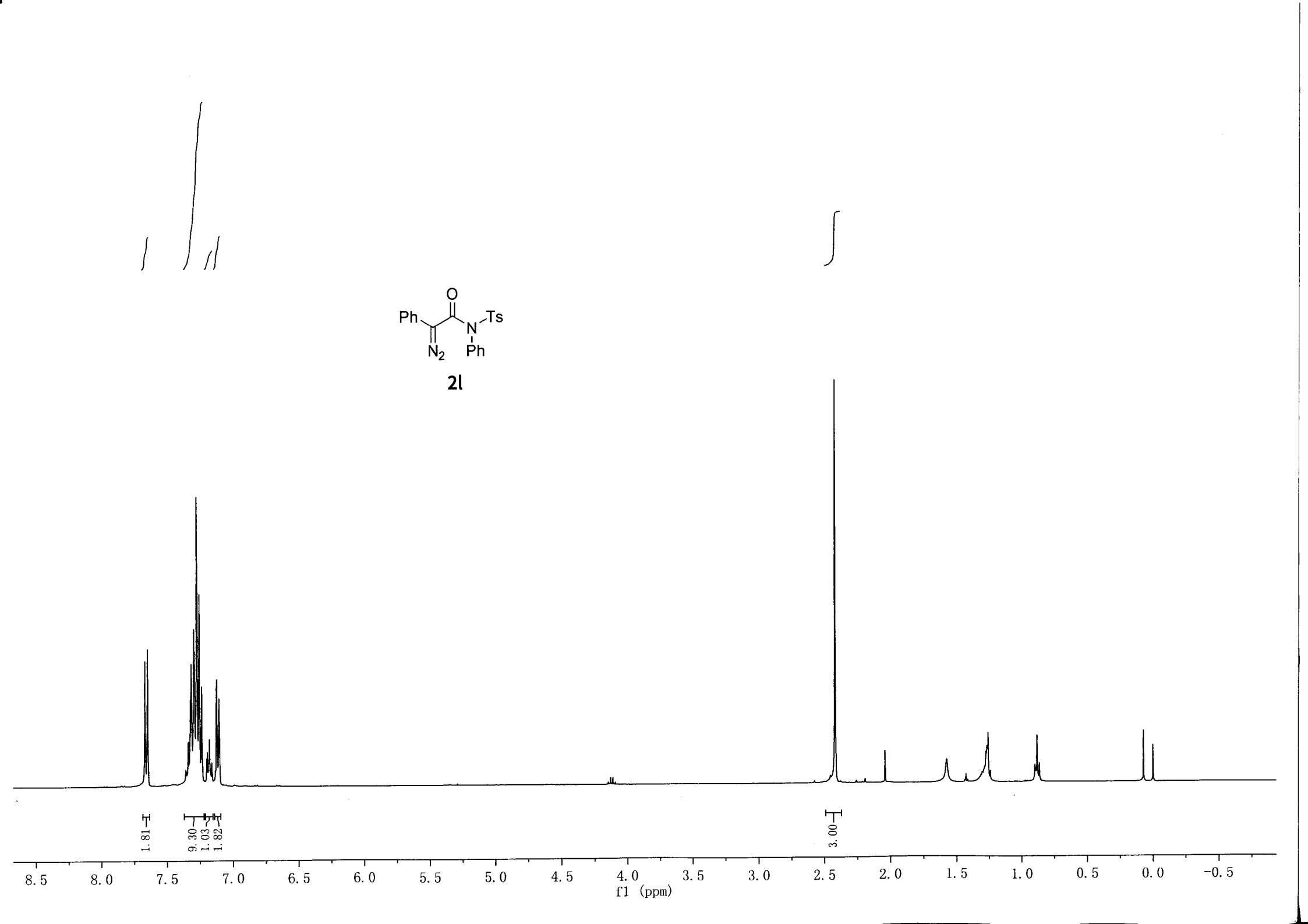
2j

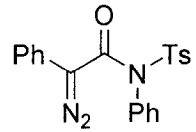

4.00
10.02
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

Bs
N
Ph

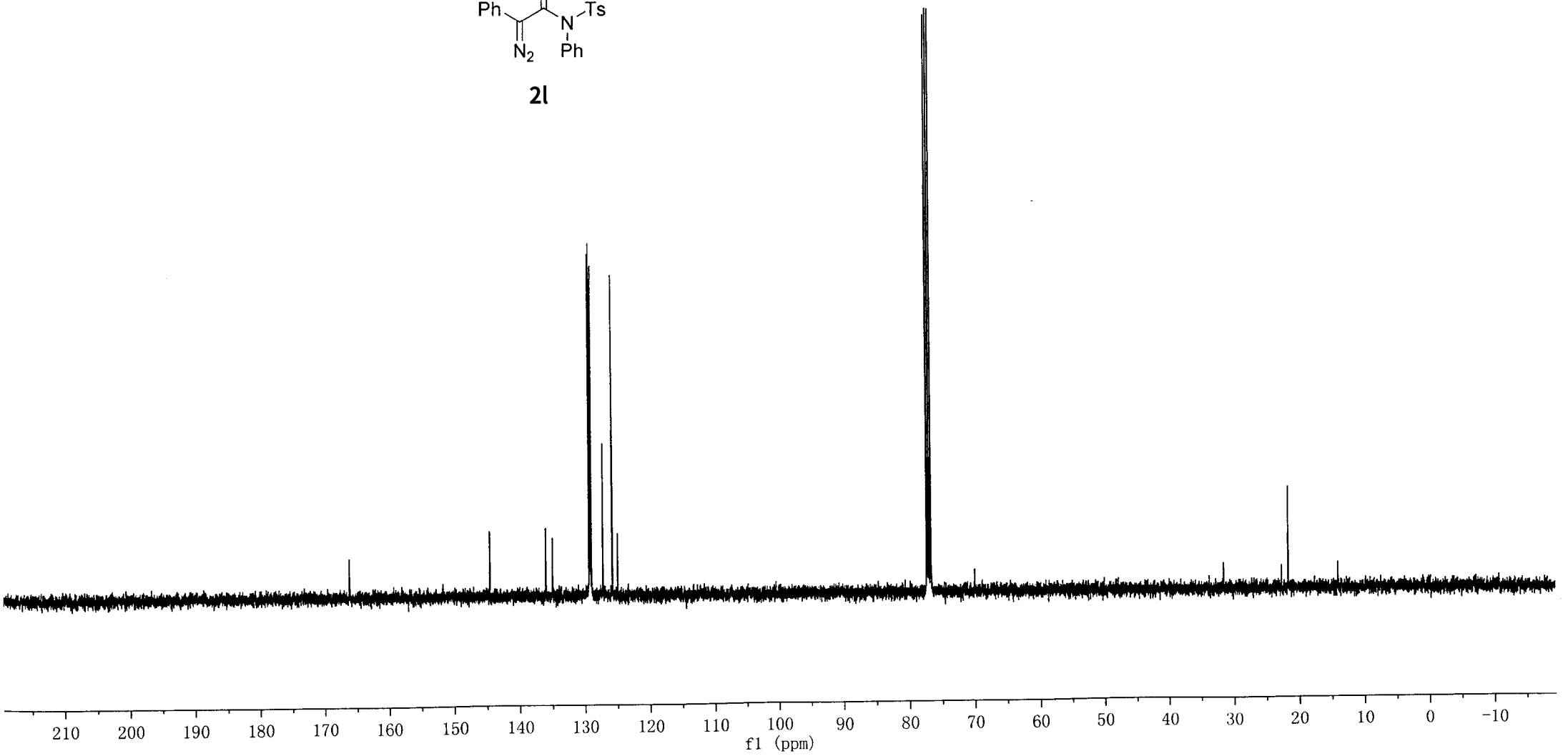
2j

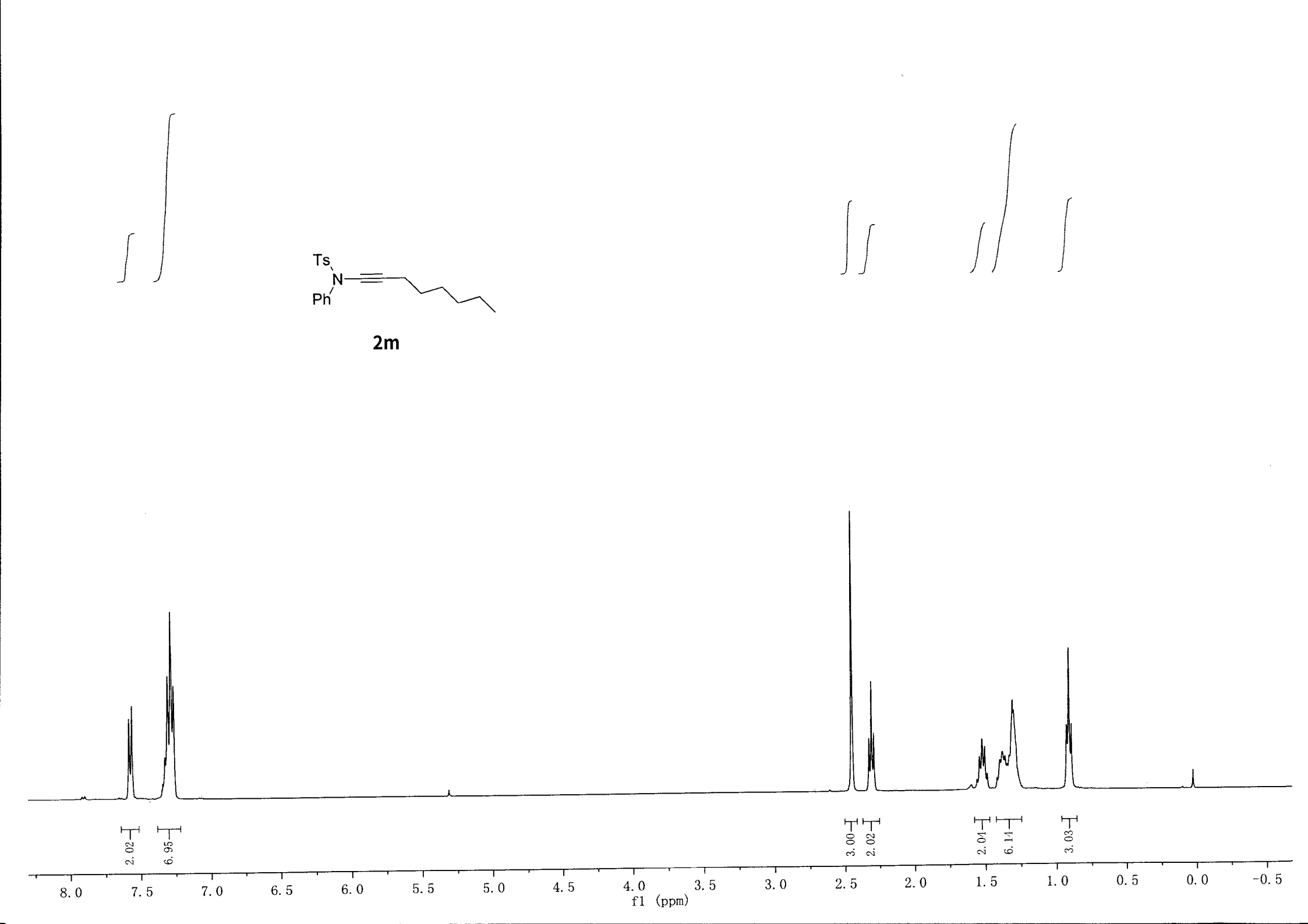

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

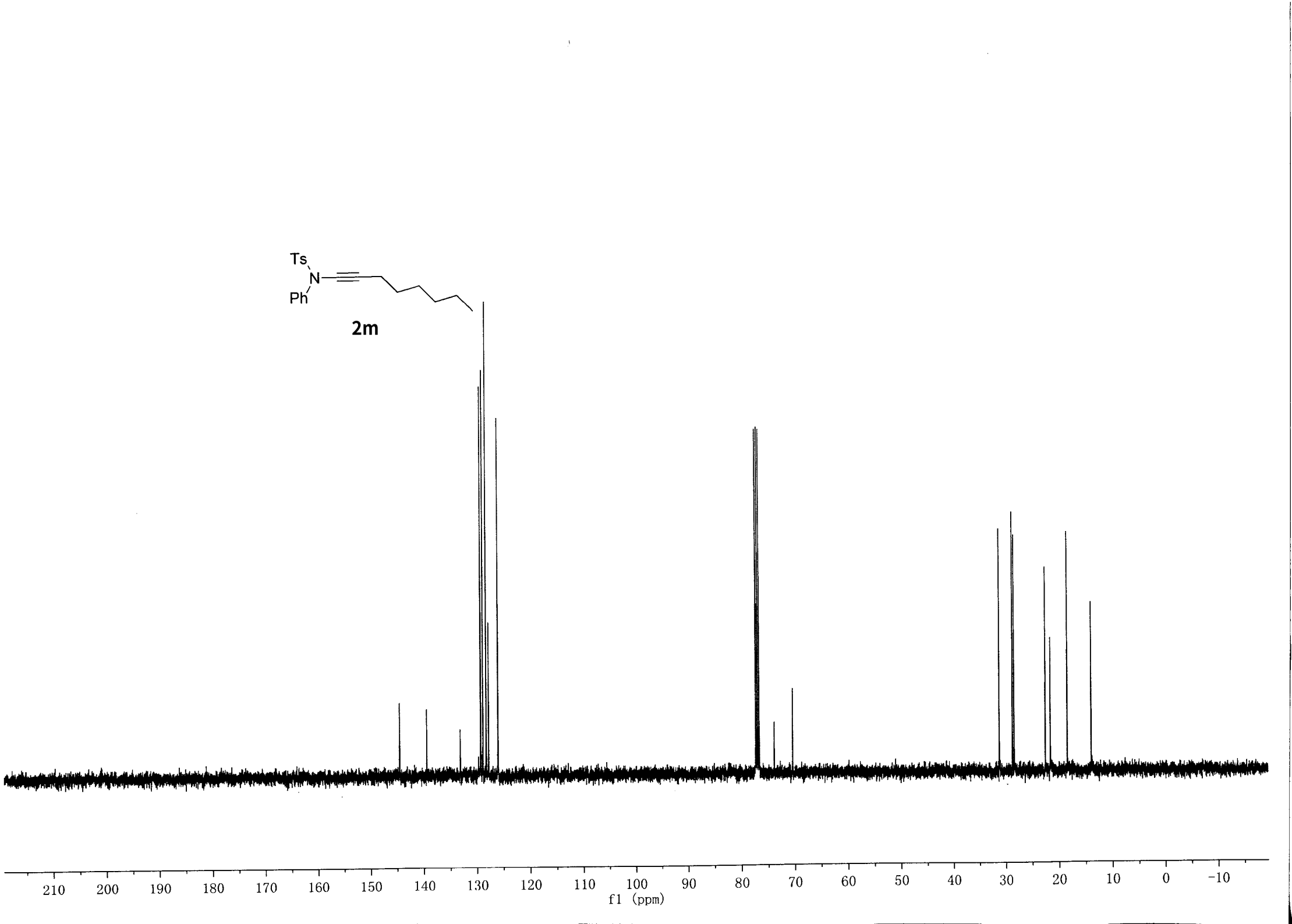

O
Ph
Ts
N
N2
Ph
2l
1.81
9.30
1.03
1.82
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

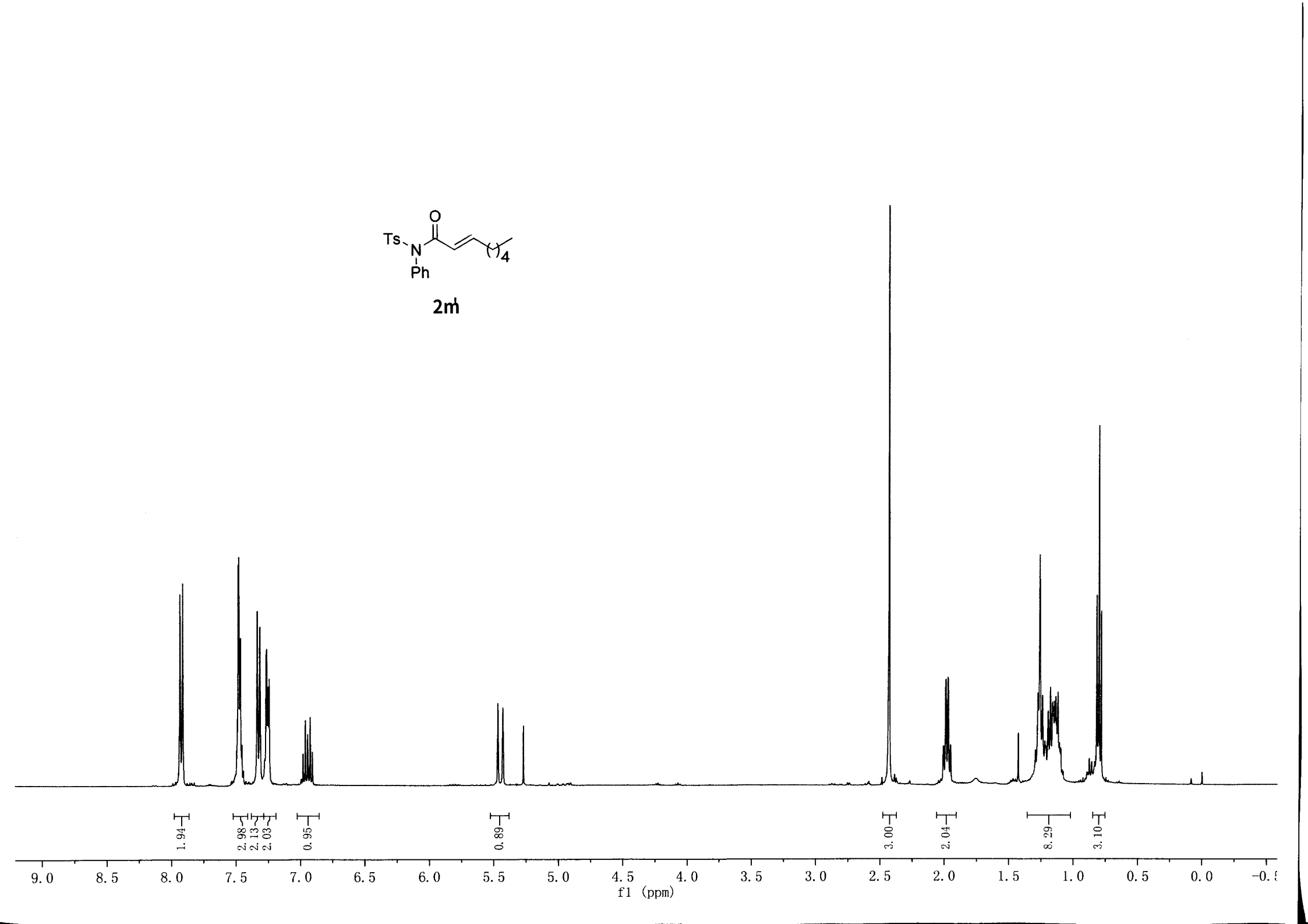

O
Ph
Ts
N
N_2
Ph

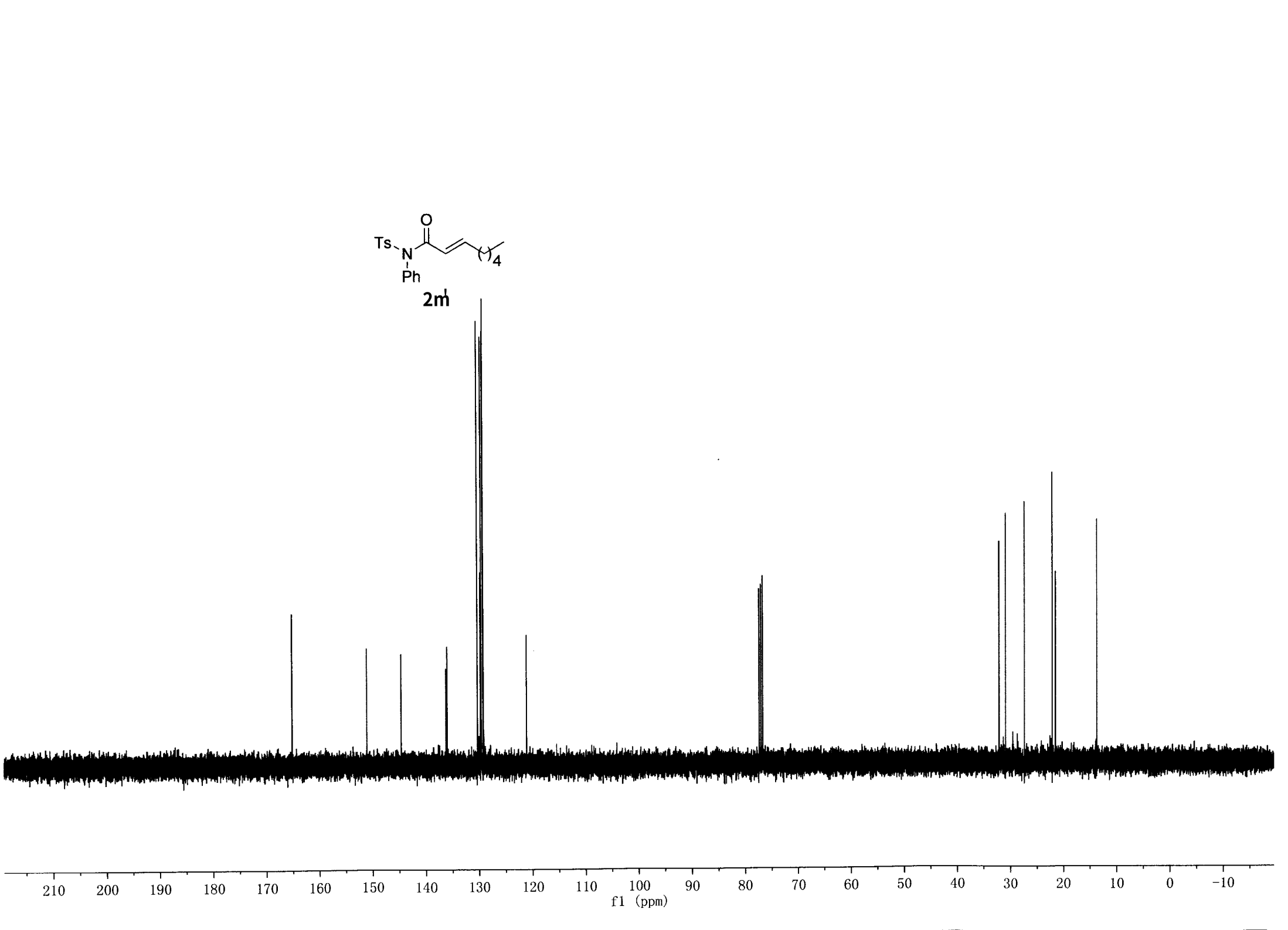
2l

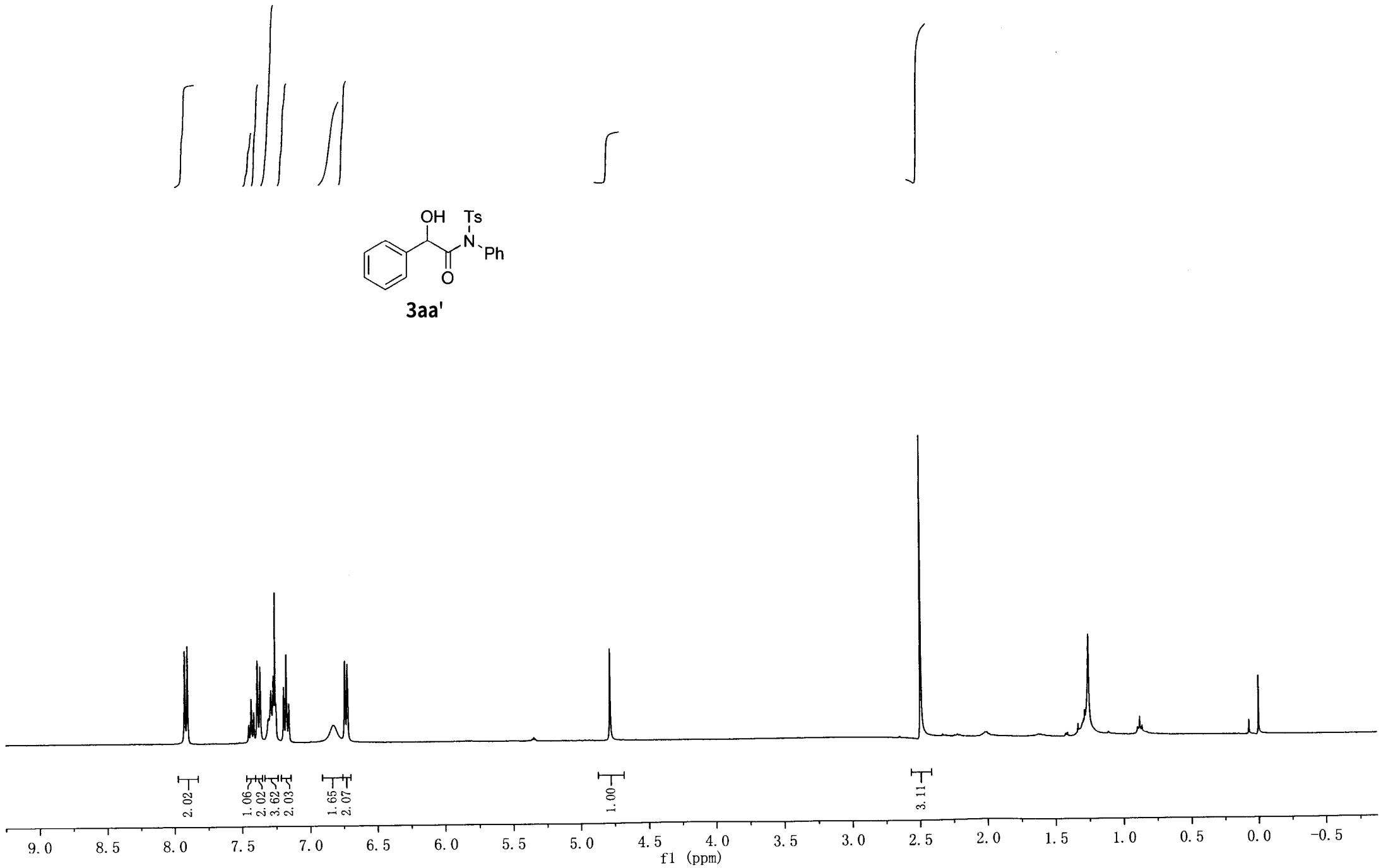

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

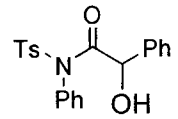

Ts
N
Ph
2m
2.02
6.95
3.00
2.02
2.04
6.14
3.03
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ts
N
Ph
2m
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

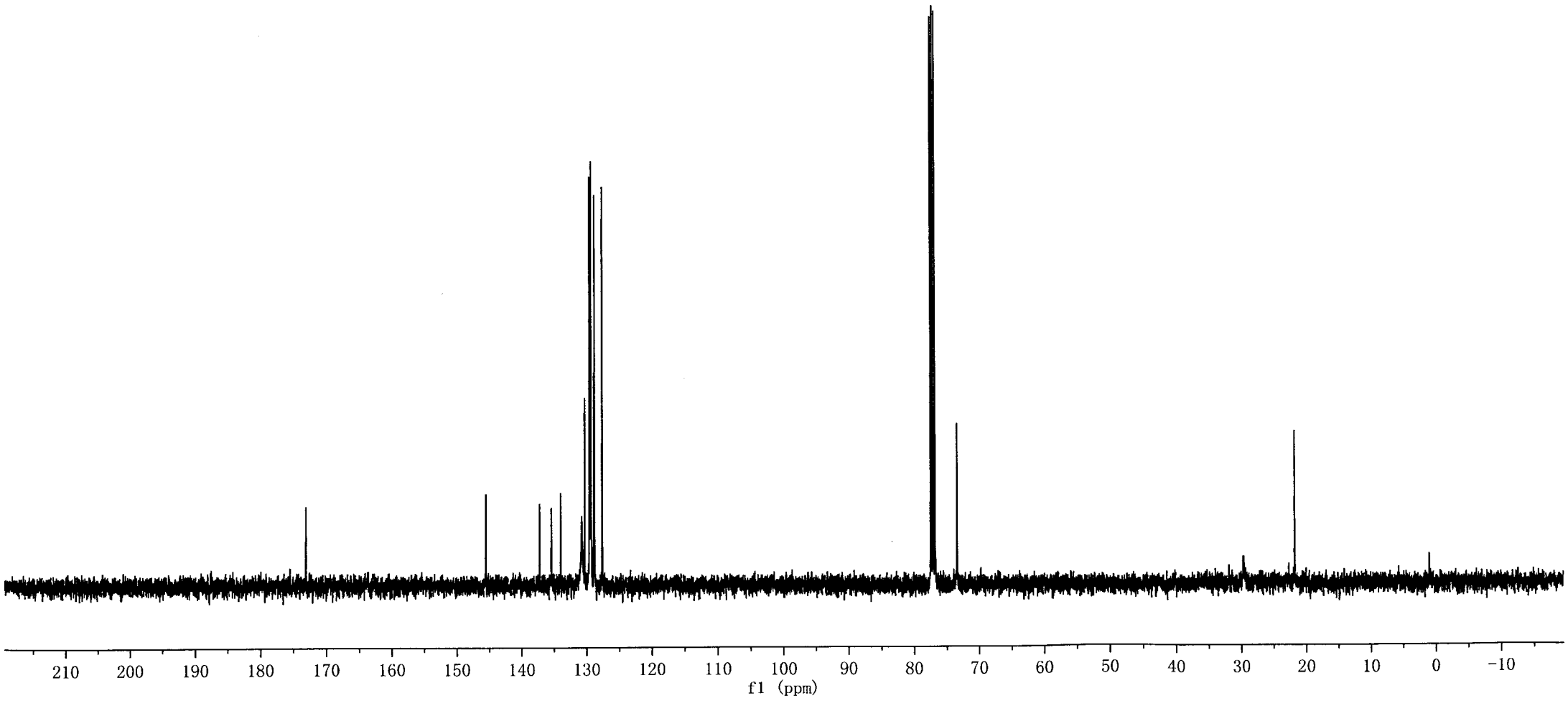

Ts
N
Ph
O
4
2m
1.94
2.98
2.13
2.03
0.95
0.89
3.00
2.04
8.29
3.10
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


O
Ts
N
Ph
4
2m'
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


OH
Ts
N
Ph
O
3aa'
2.02
1.06
2.02
3.62
2.03
1.65
2.07
1.00
3.11
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


O
Ts
N
Ph
Ph
OH

3aa'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200
190
180
170
160
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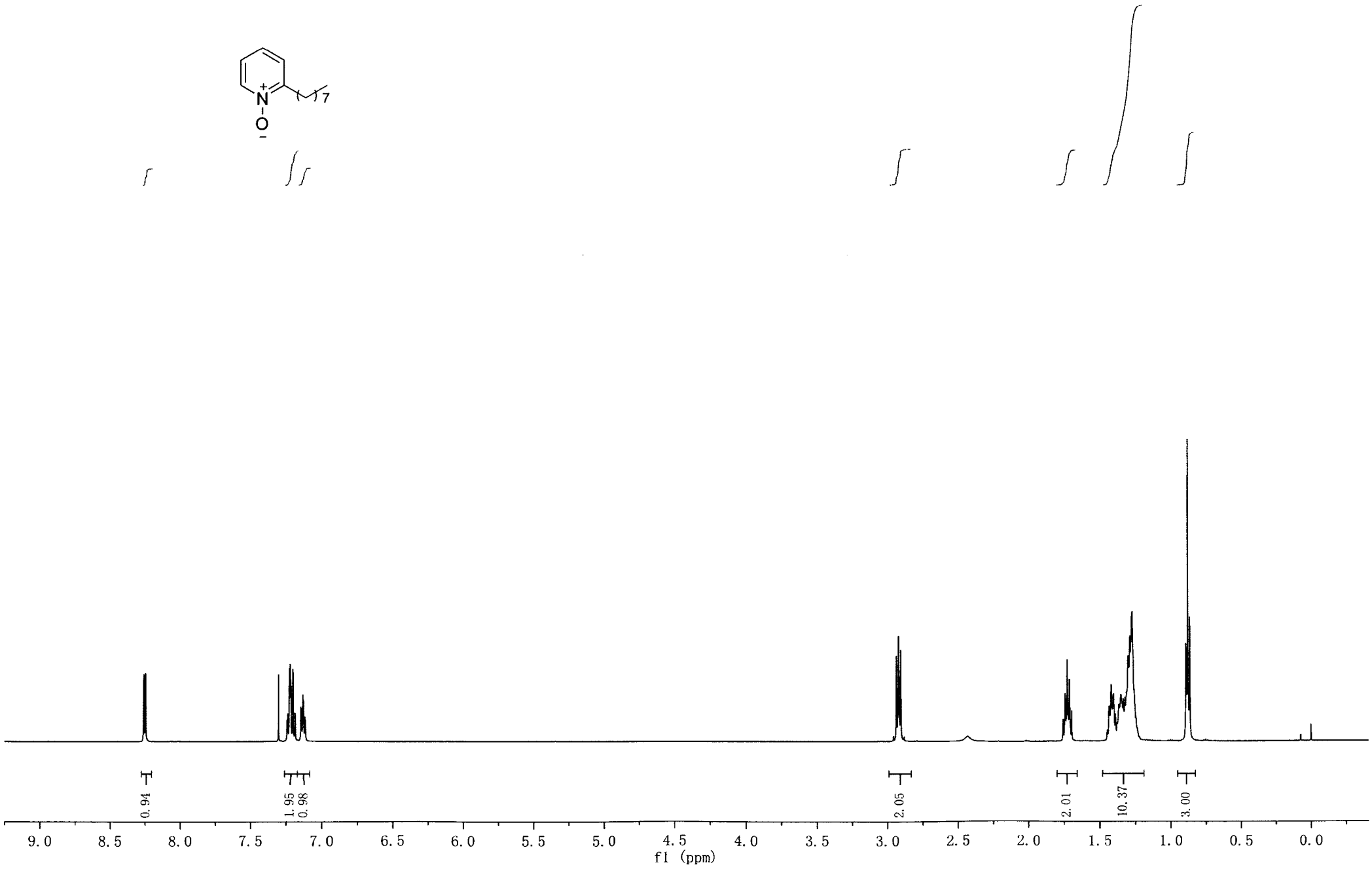
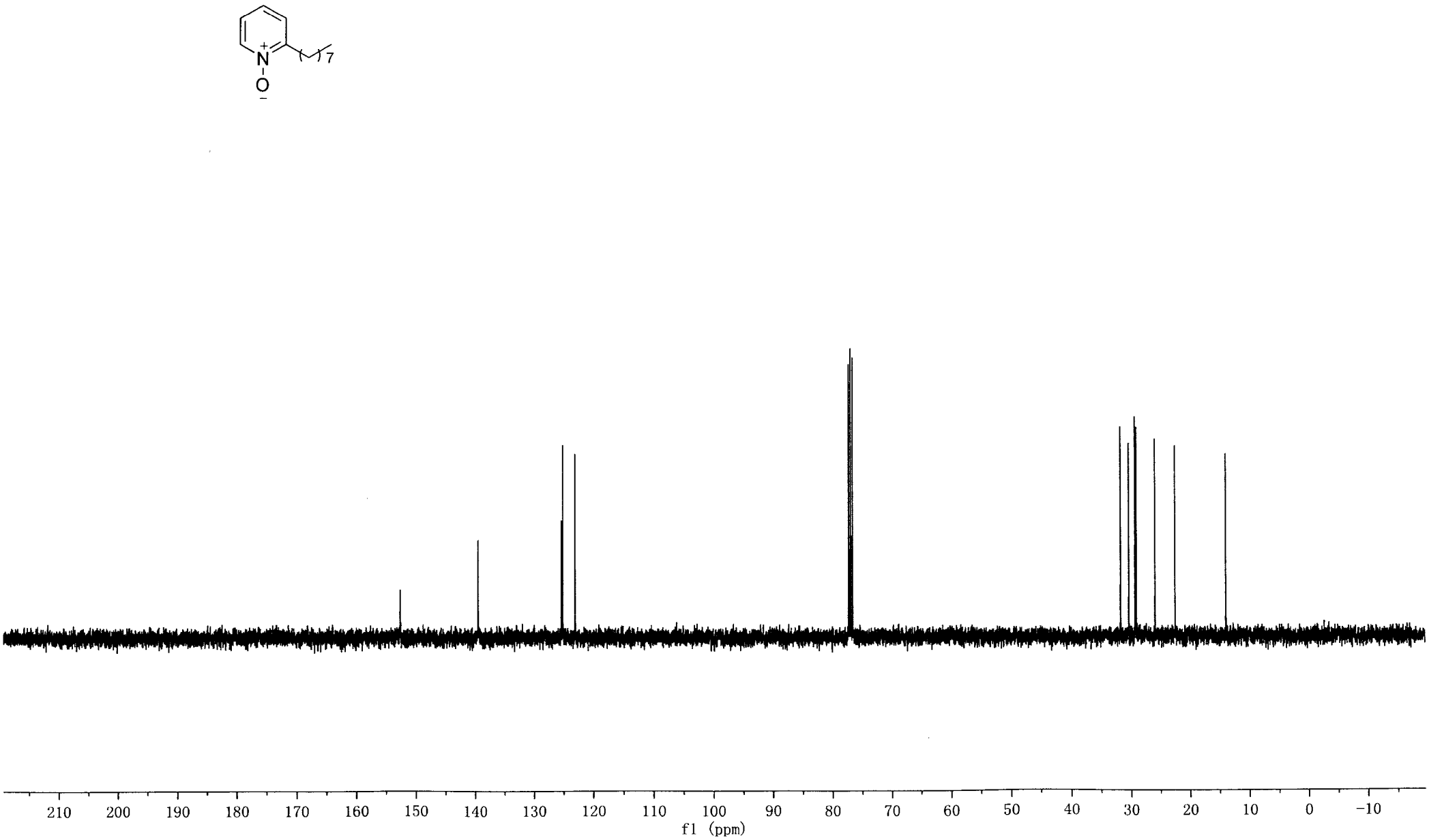
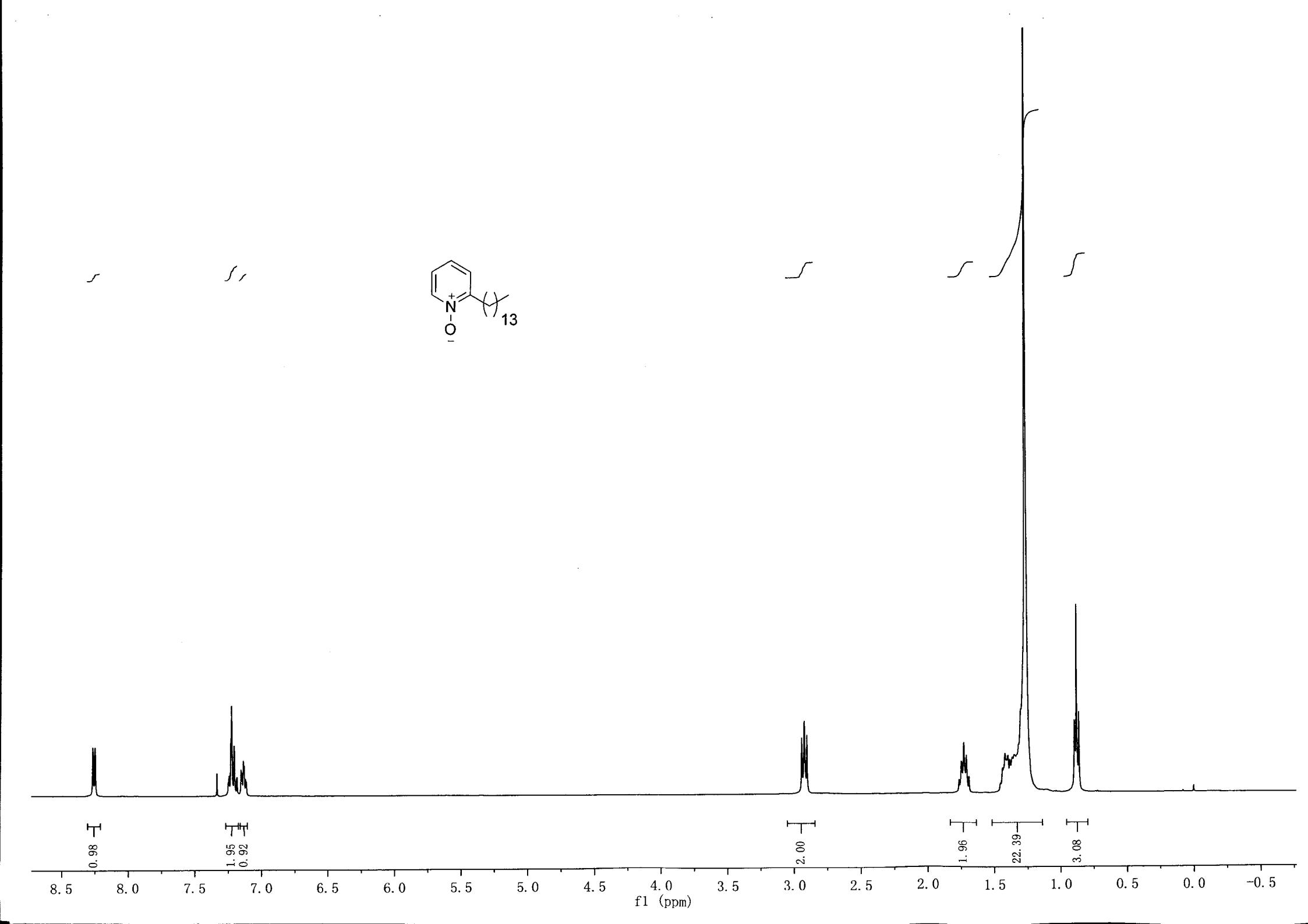
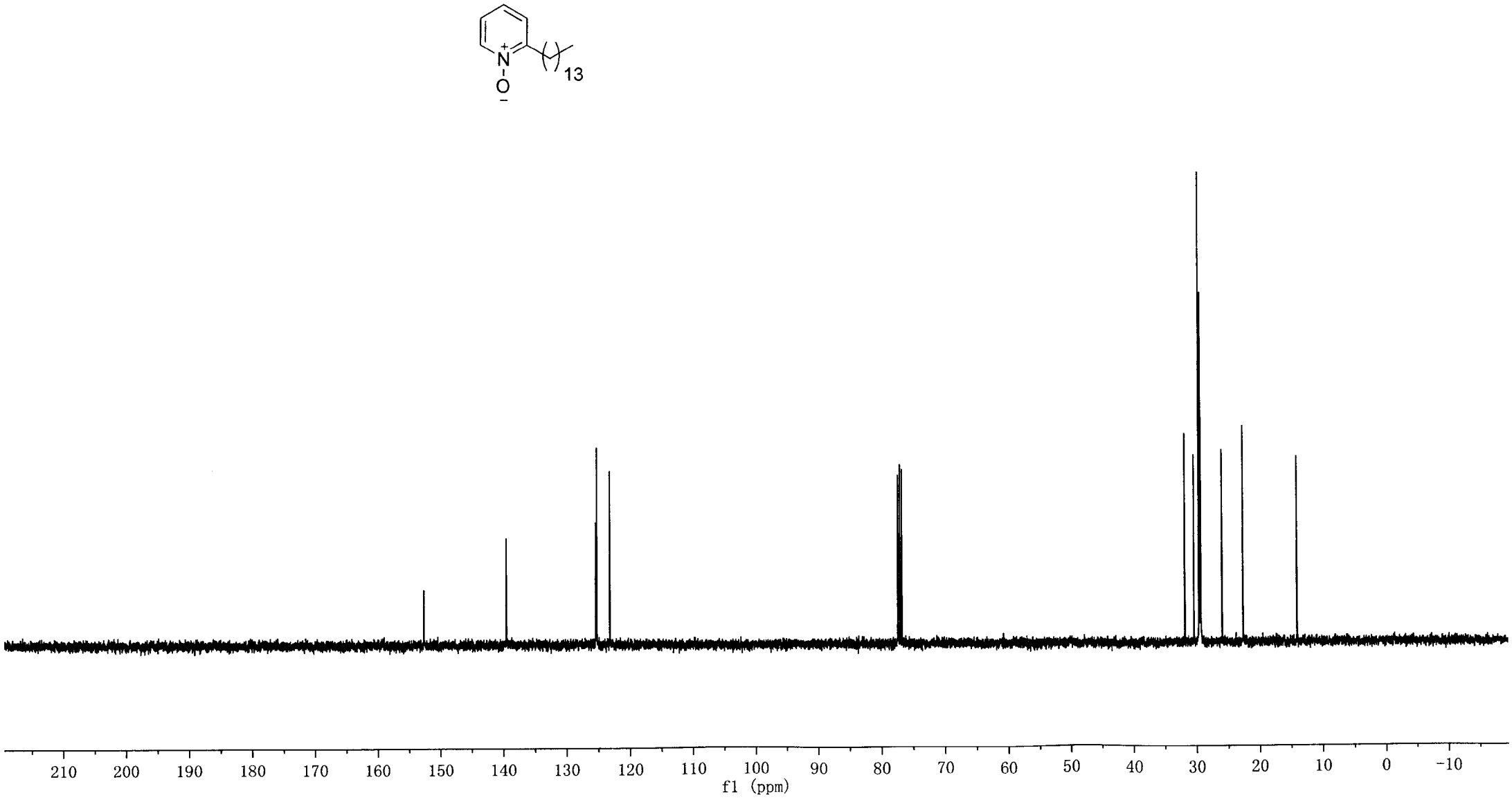
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


0.94
1.95
0.98
2.05
2.01
10.37
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


7
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


13
0.98
1.95
0.92
2.00
1.96
22.39
3.08
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


13
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200
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180
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160
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140
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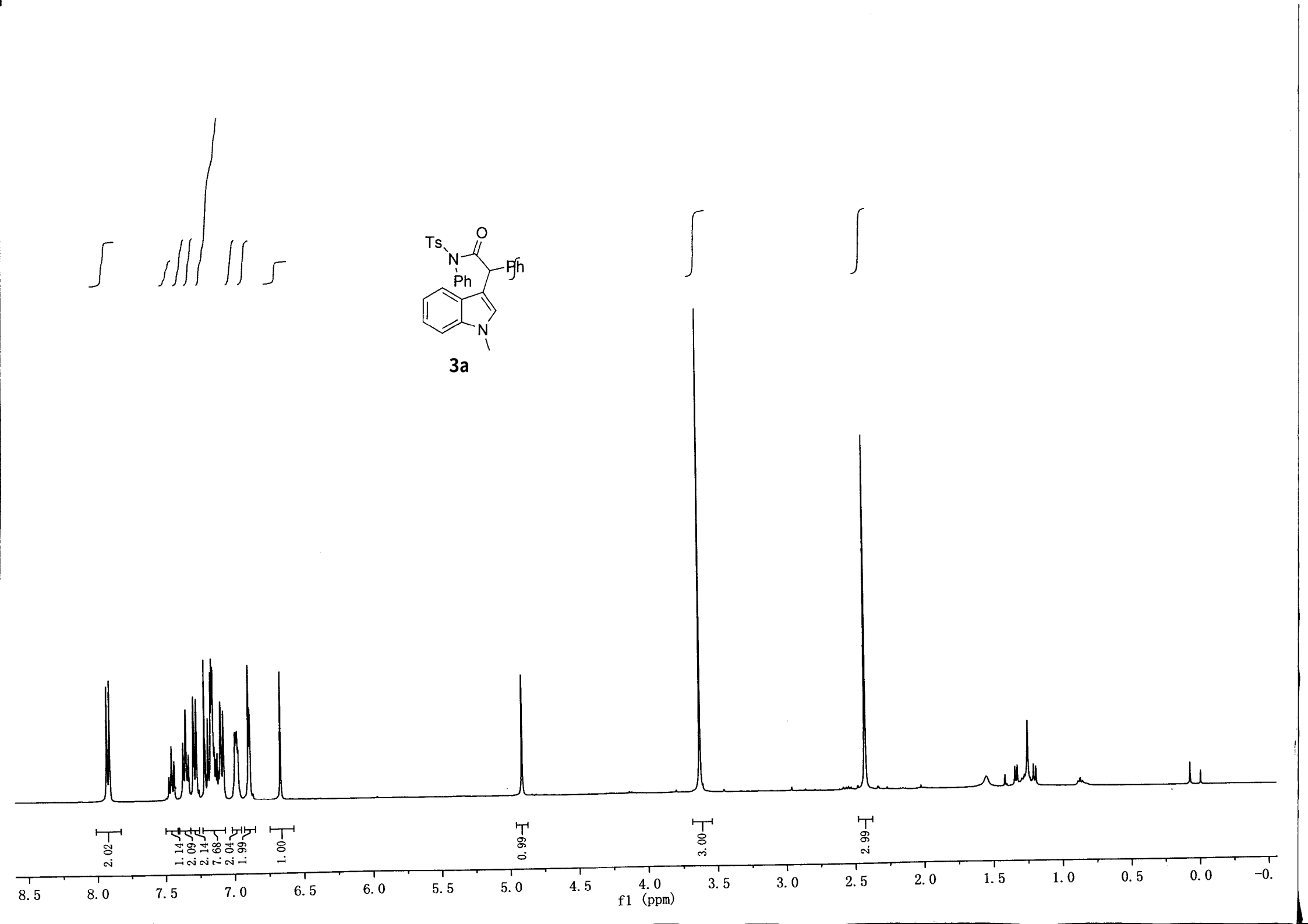
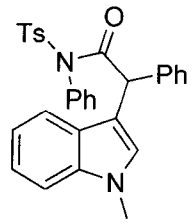
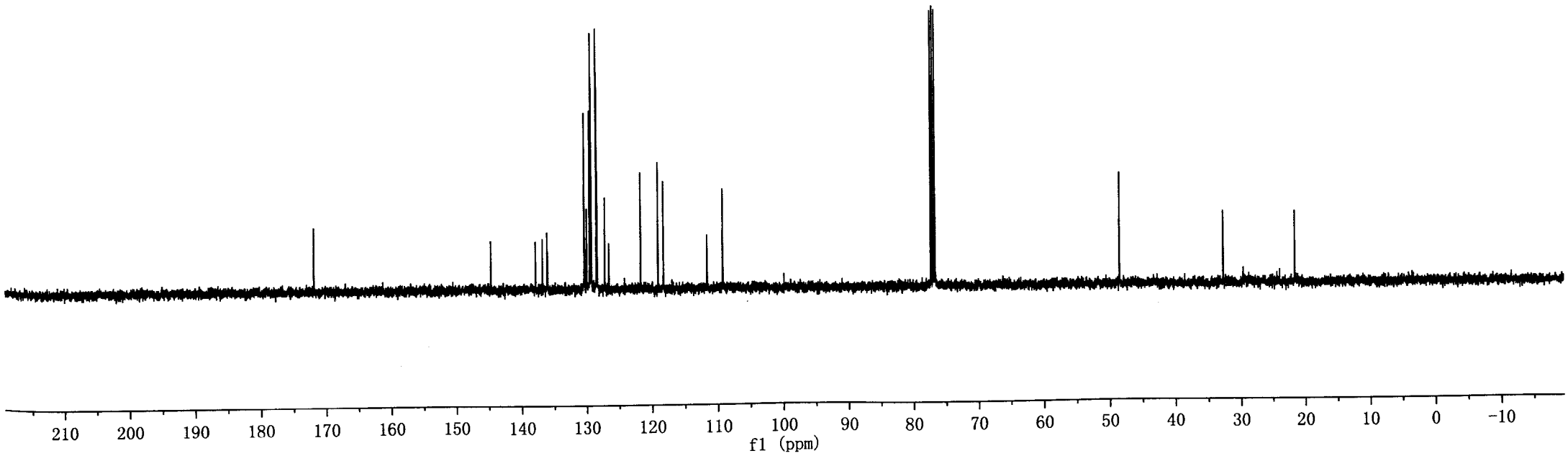
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
O
Ph
Ph
N
3a
2.02
1.14
2.09
2.14
7.68
2.04
1.99
1.00
0.99
3.00
2.99
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.
f1 (ppm)


Ts
N
O
Ph
Ph
N

3a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100
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80
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60
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30
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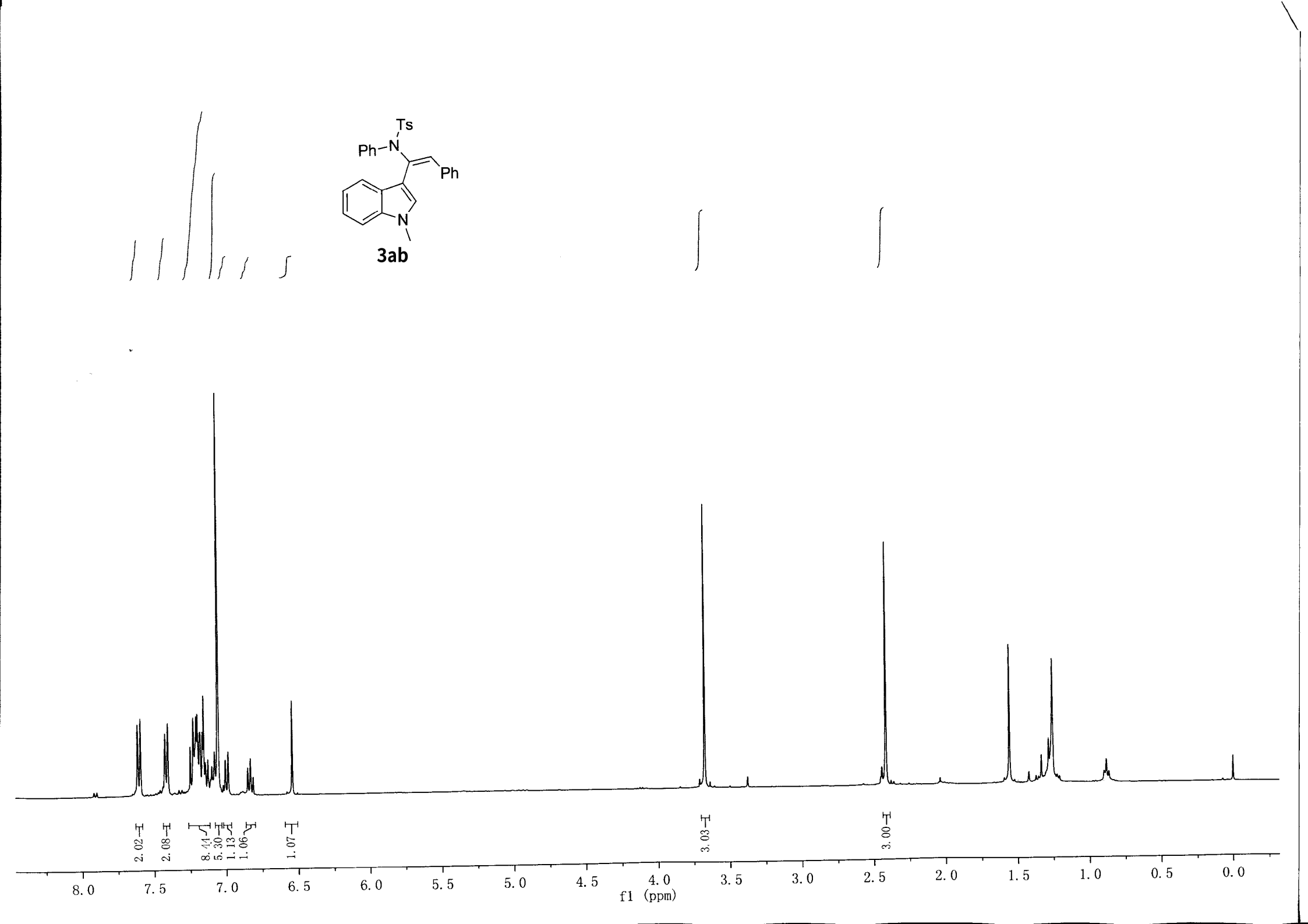
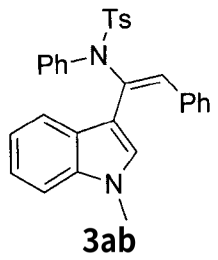
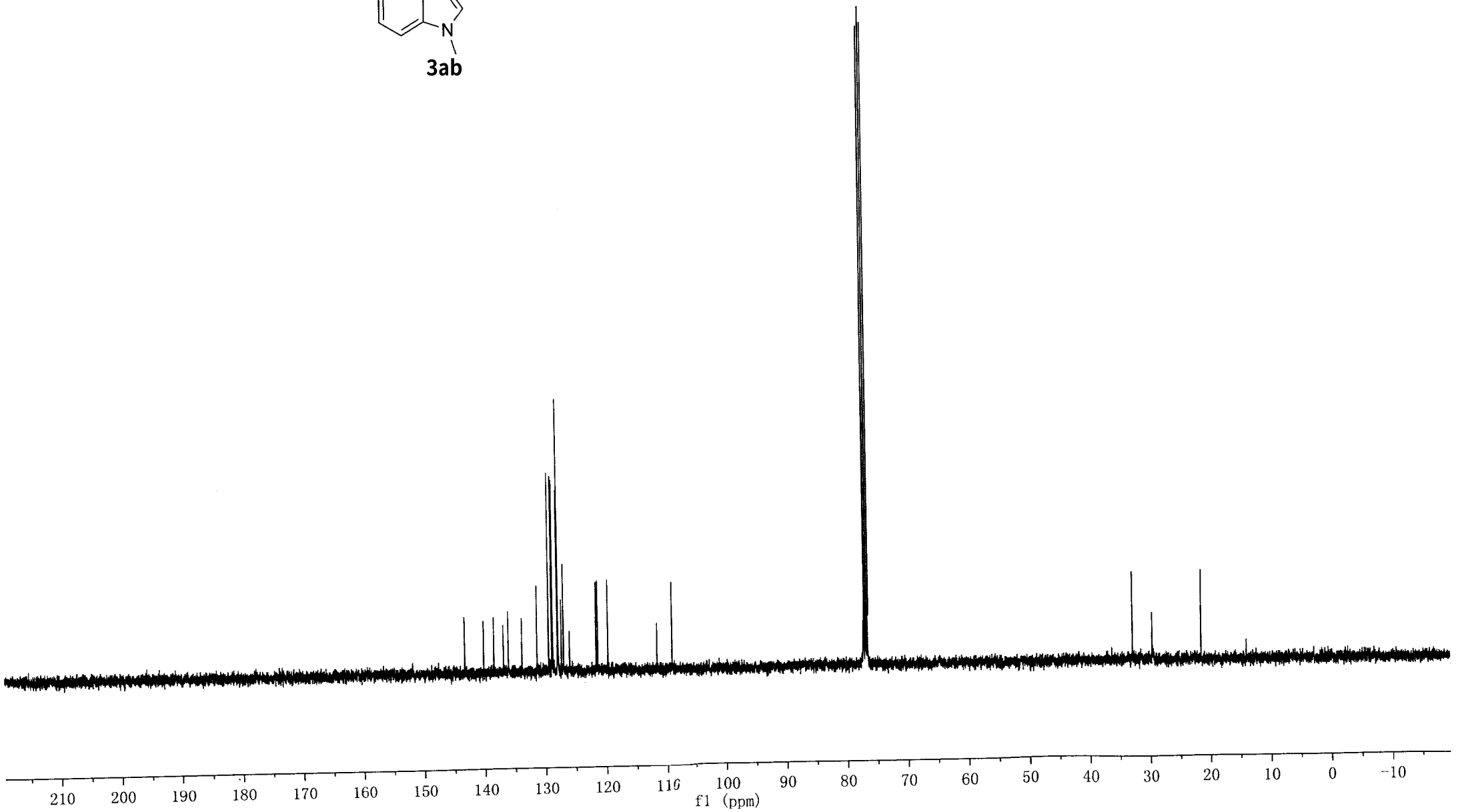
10
0
-10
f1 (ppm)


Ts
Ph
N
Ph
N
3ab
2.02
2.08
8.44
5.30
1.13
1.06
1.07
3.03
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
Ph
N
Ph
N

3ab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200
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180
170
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140
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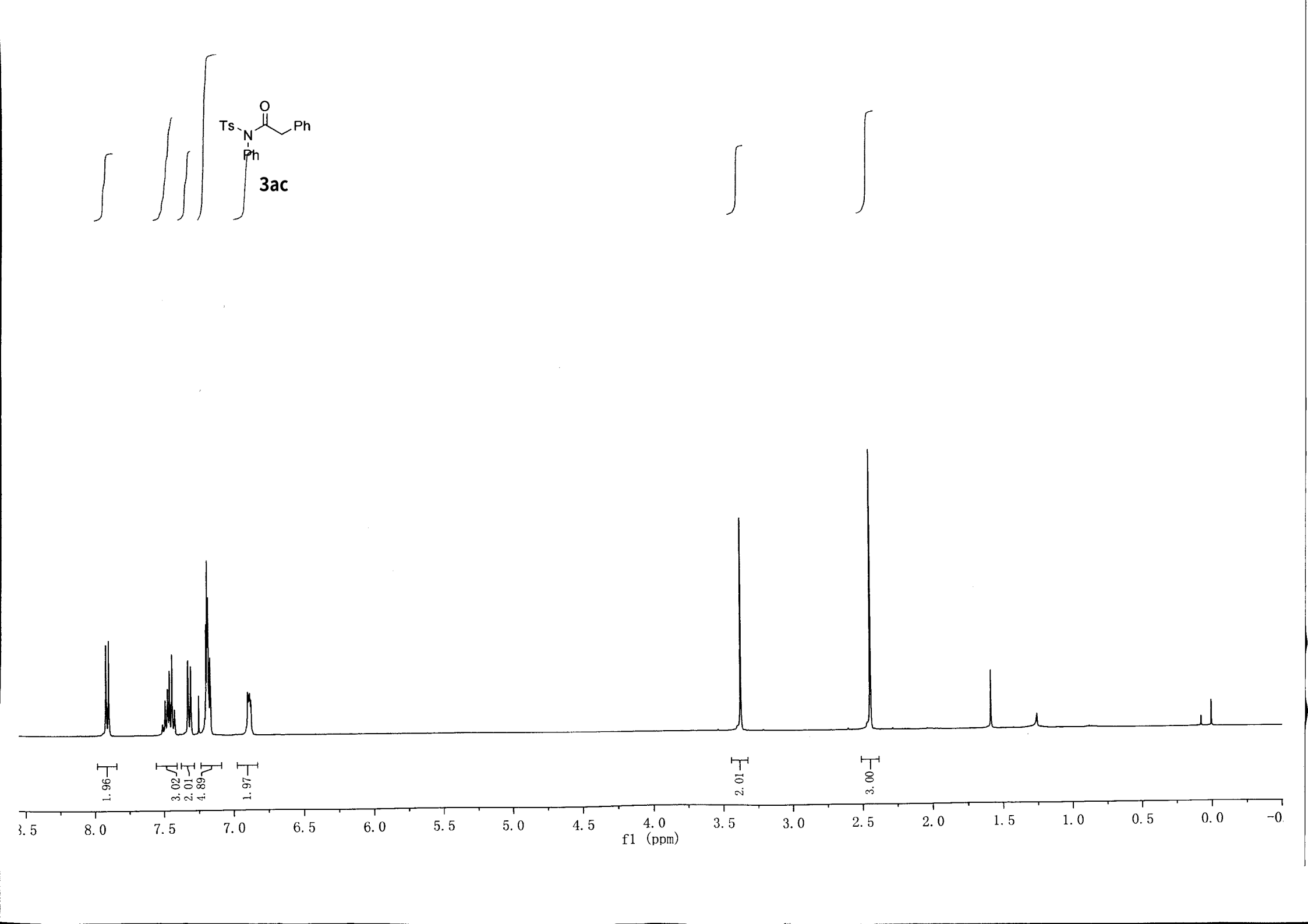
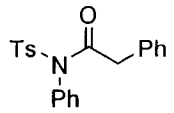
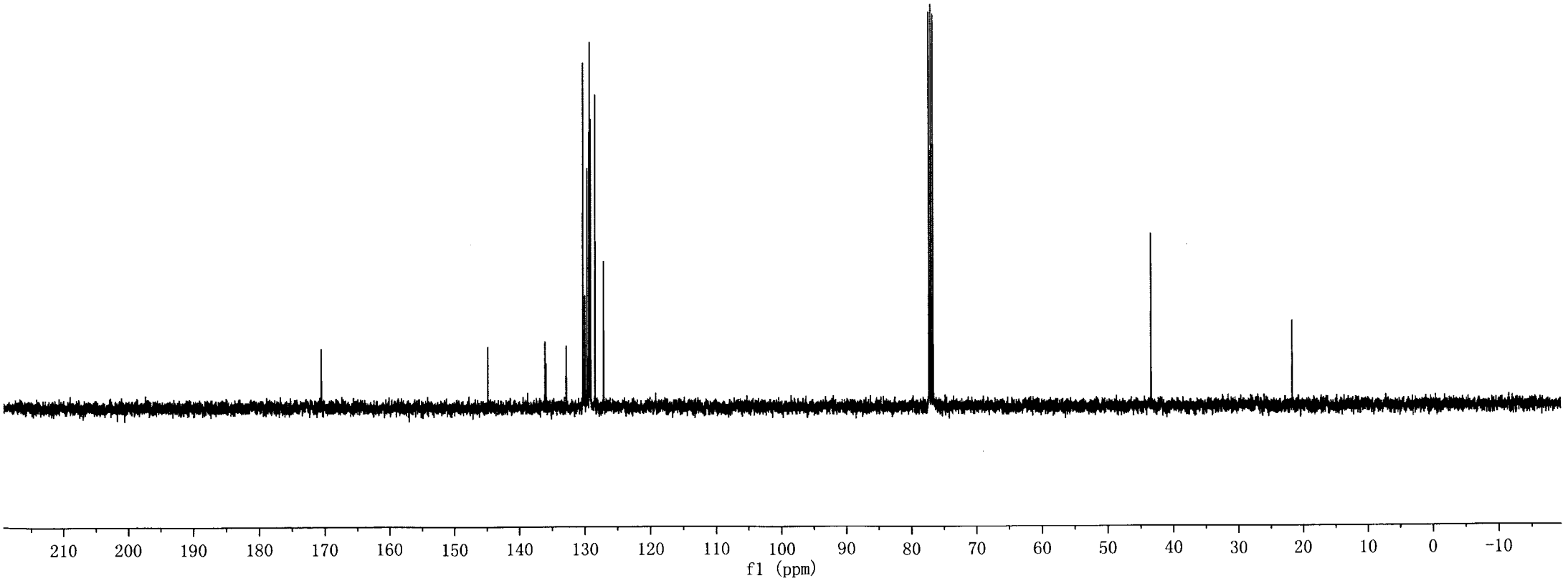
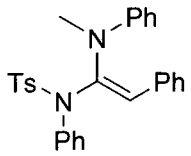
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
Ph
O
Ph
Ph
3ac
1.96
3.02
2.01
4.89
1.97
2.01
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

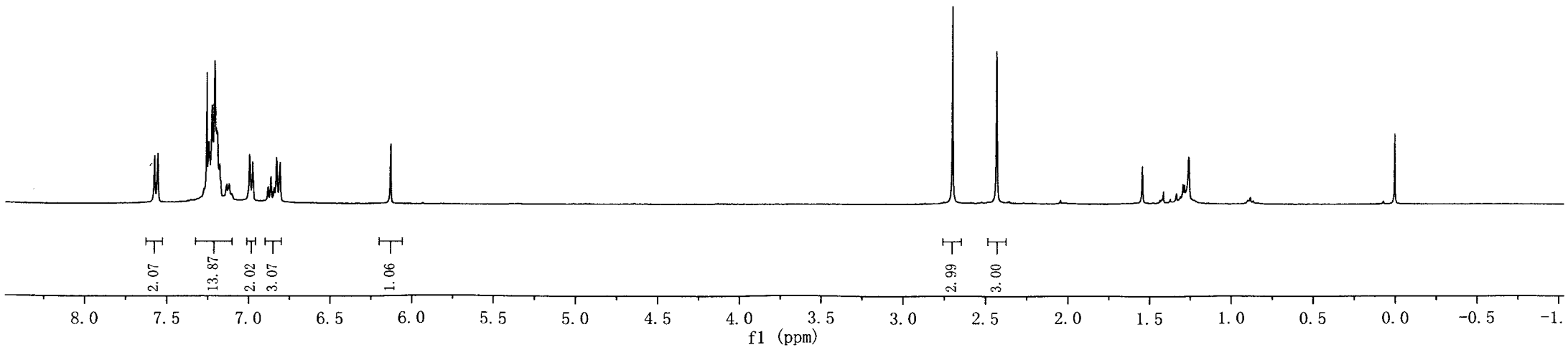

O
Ts
N
Ph
Ph

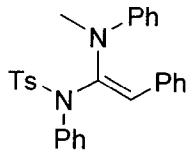
3ac


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

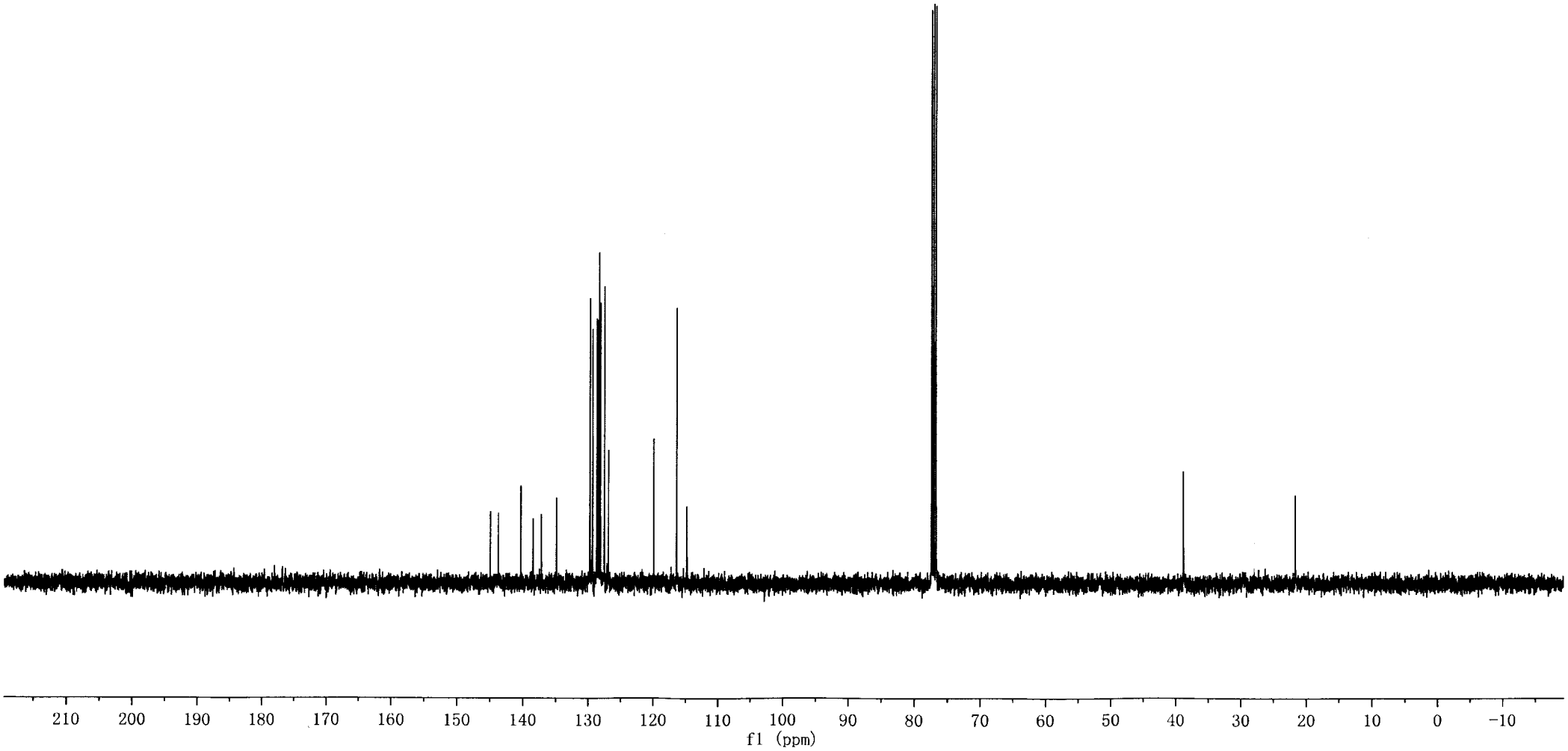

Ph
N
Ts
N
Ph
Ph

3ad


2.07
13.87
2.02
3.07
1.06
2.99
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.
f1 (ppm)


Ph
N
Ts
N
Ph
Ph

3ad


210
200
190
180
170
160
150
140
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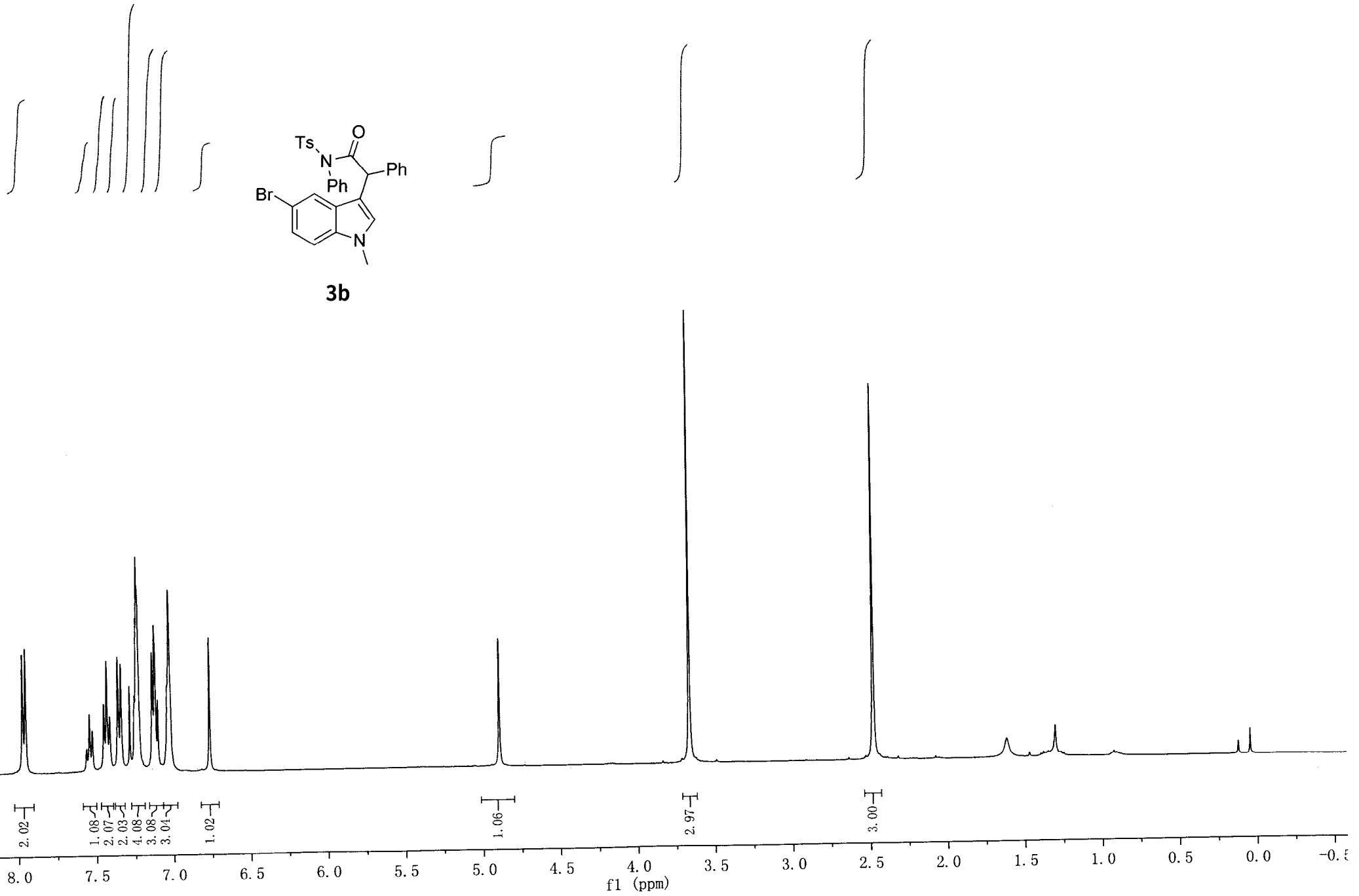
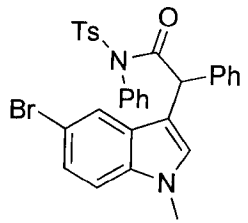
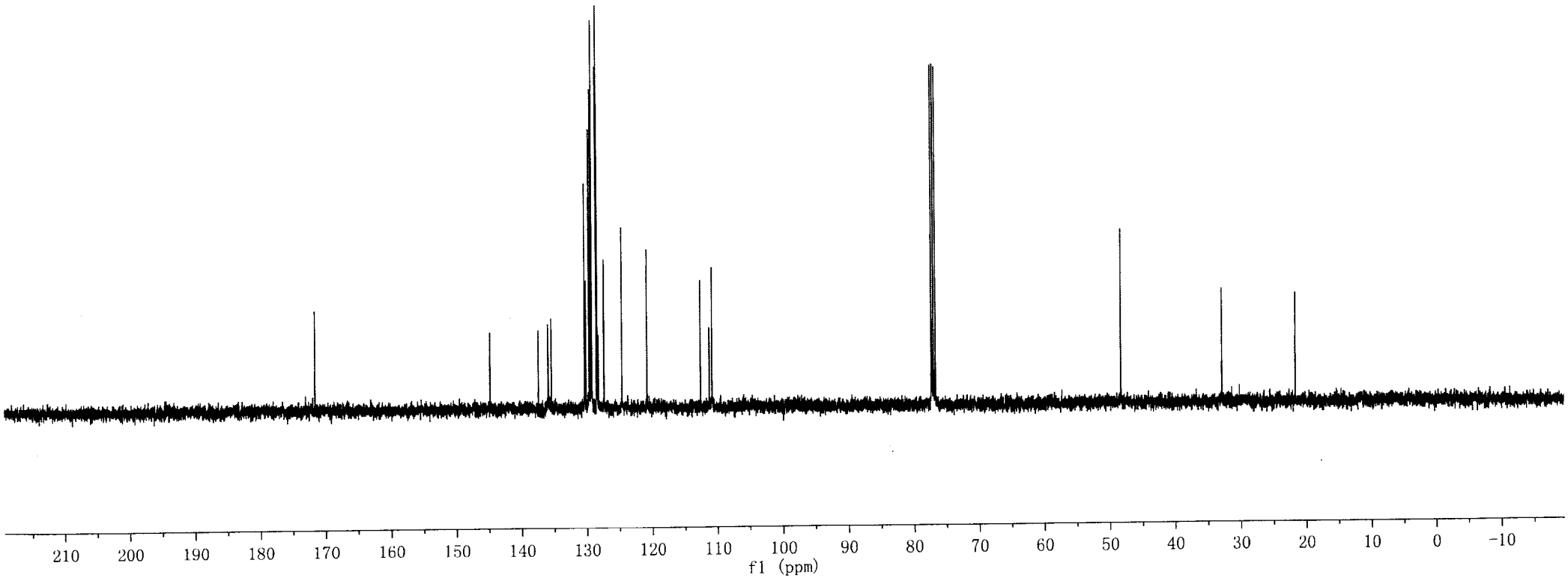
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
Ph
Ph
Br
N
2.02
1.08
2.07
2.03
4.08
3.08
3.04
1.02
1.06
2.97
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

3b


Ts
N
O
Ph
Ph
Br
N

3b


210
200
190
180
170
160
150
140
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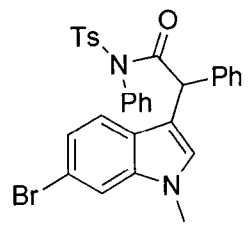
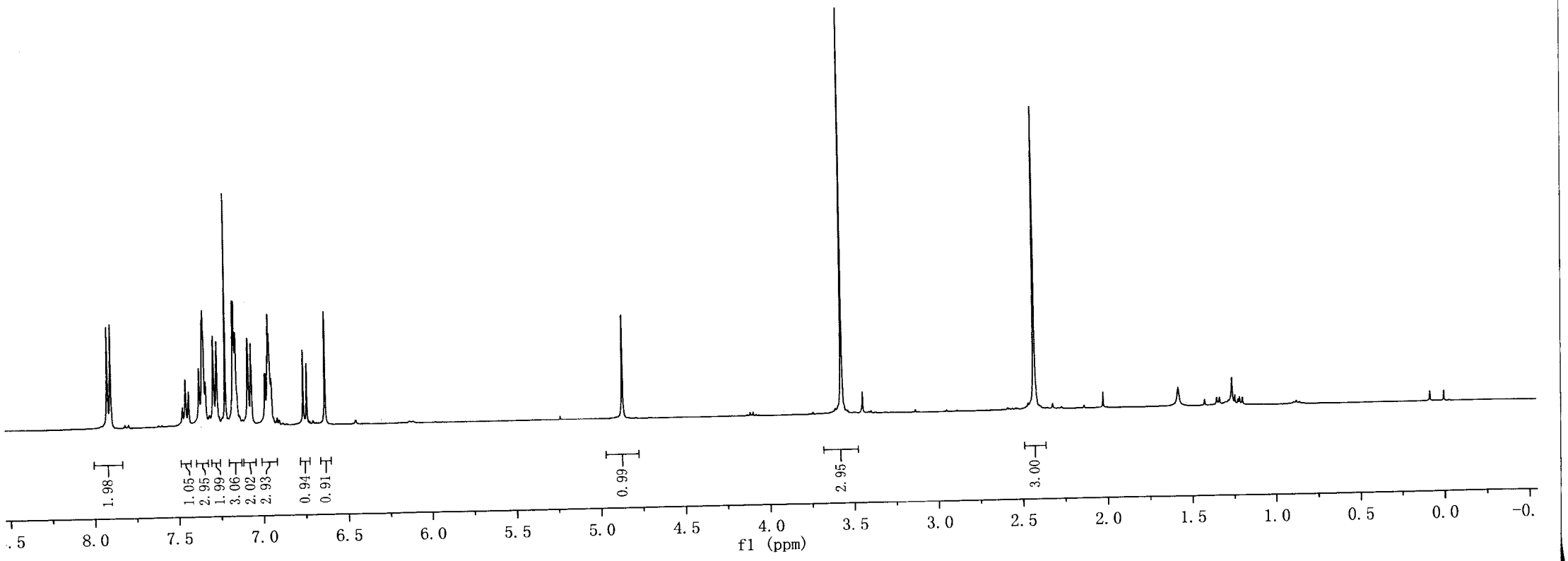
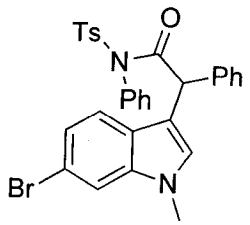
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

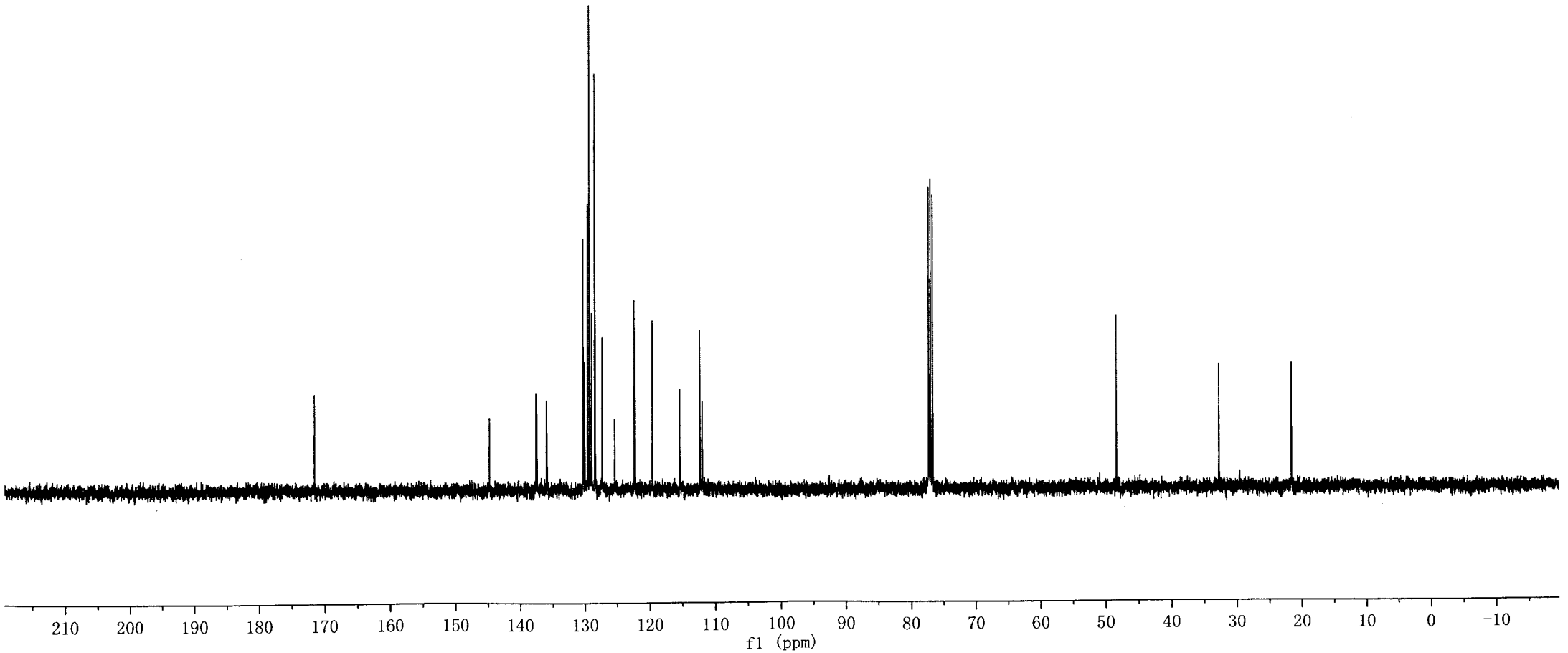

Ts
N
O
Ph
Ph
Br
N

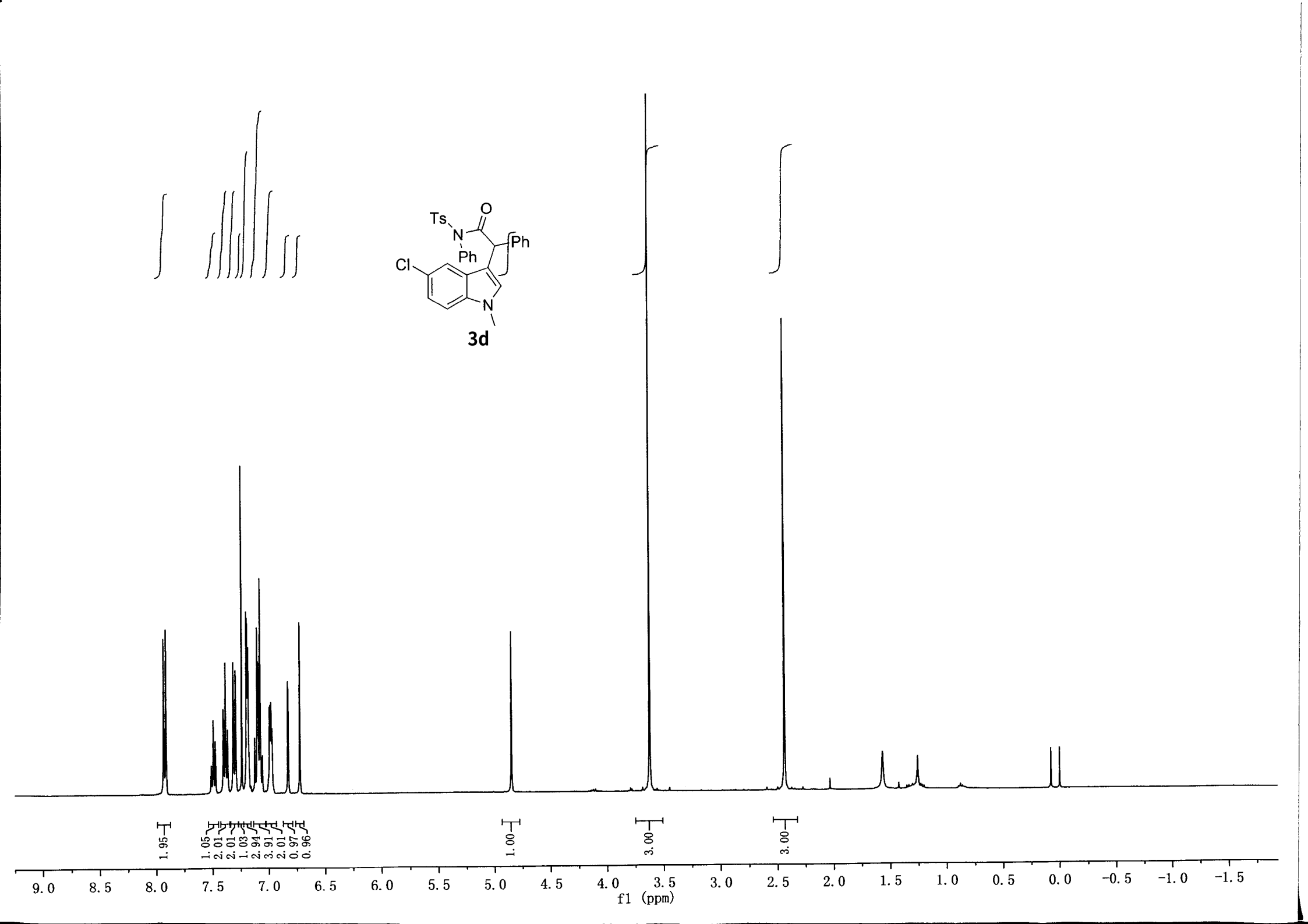
3c

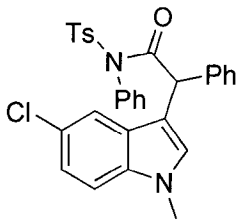

1.98
1.05
2.95
1.99
3.06
2.02
2.93
0.94
0.91
0.99
2.95
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
N
O
Ph
Ph
Br
N

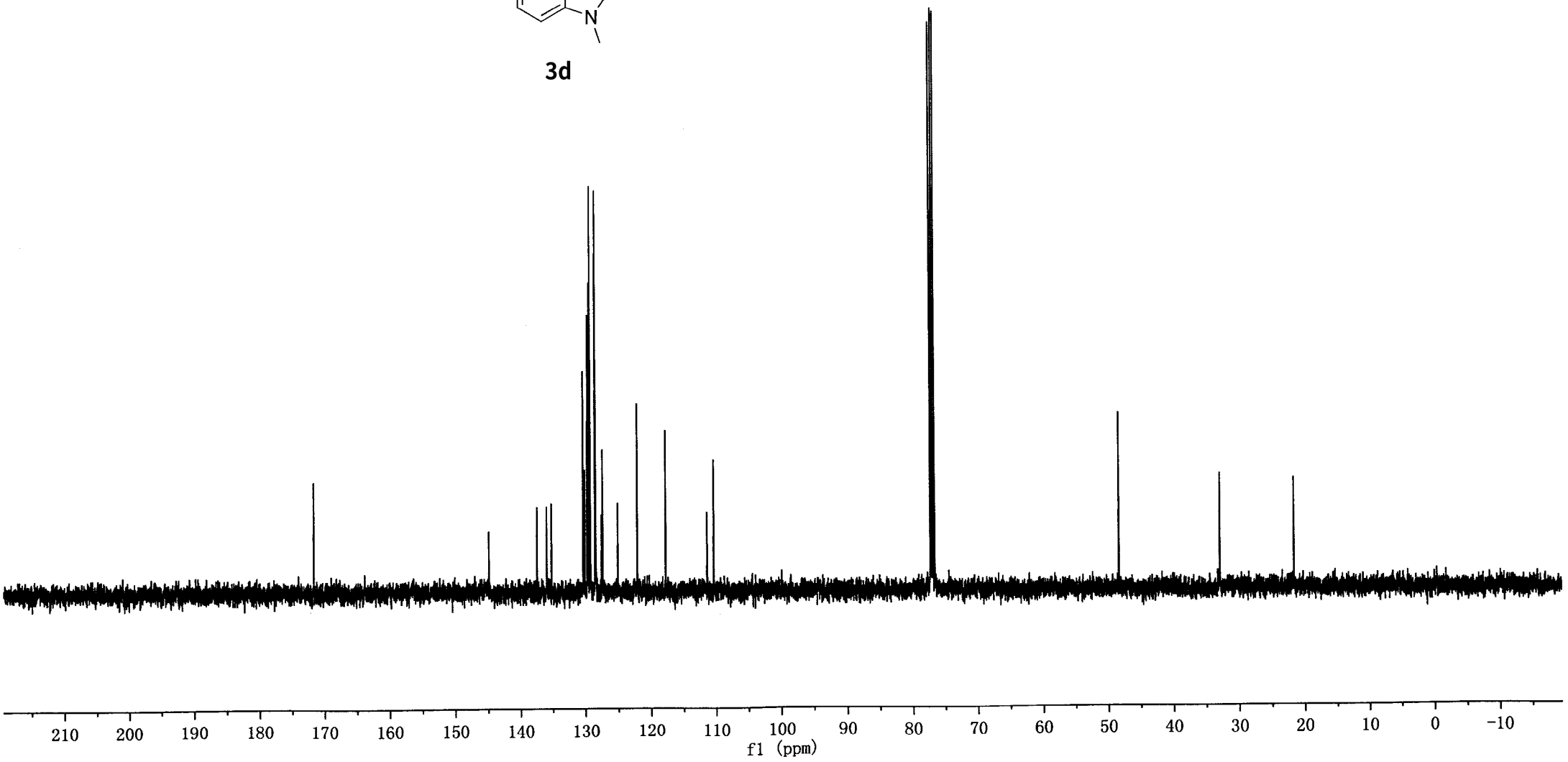
3c

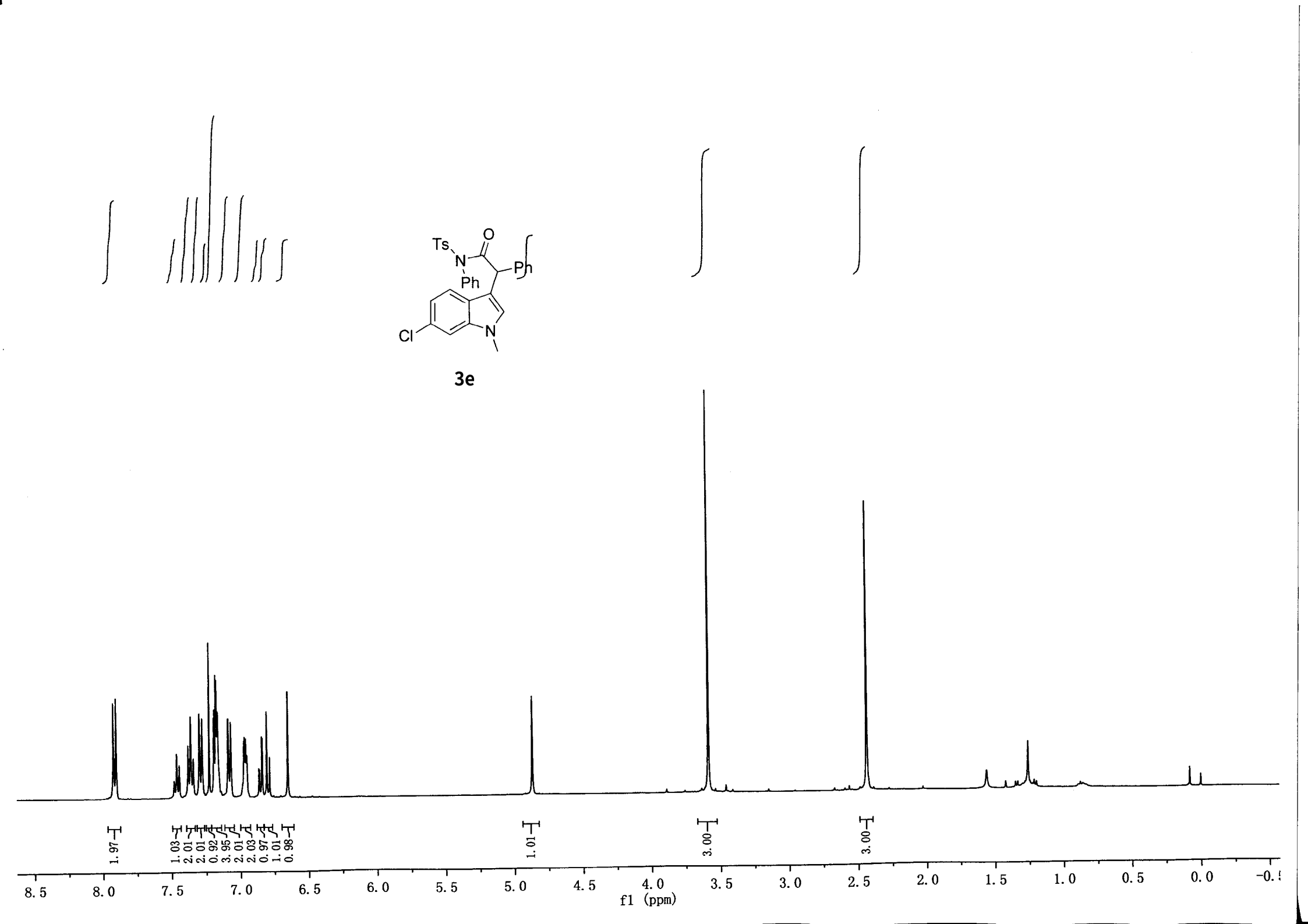

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
Ph
Ph
Cl
N
3d
1.95
1.05
2.01
2.01
1.03
2.94
3.91
2.01
0.97
0.96
1.00
3.00
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
-1.5
f1 (ppm)


Ts
N
O
Ph
Ph
Cl
N

3d


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
Ph
Ph
Cl
N
1.97
1.03
2.01
2.01
0.92
3.95
2.01
2.03
0.97
1.01
0.98
1.01
3.00
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
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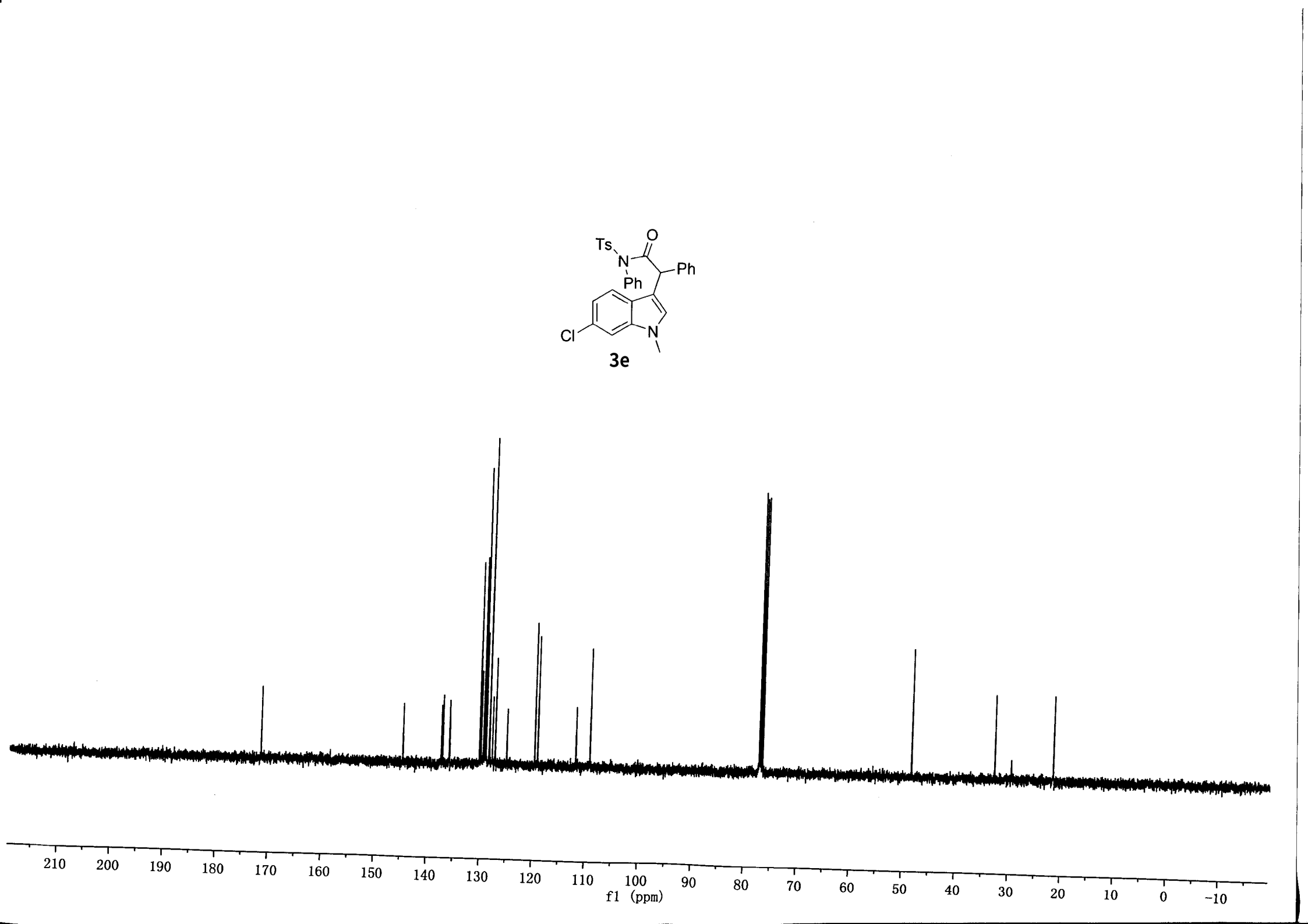
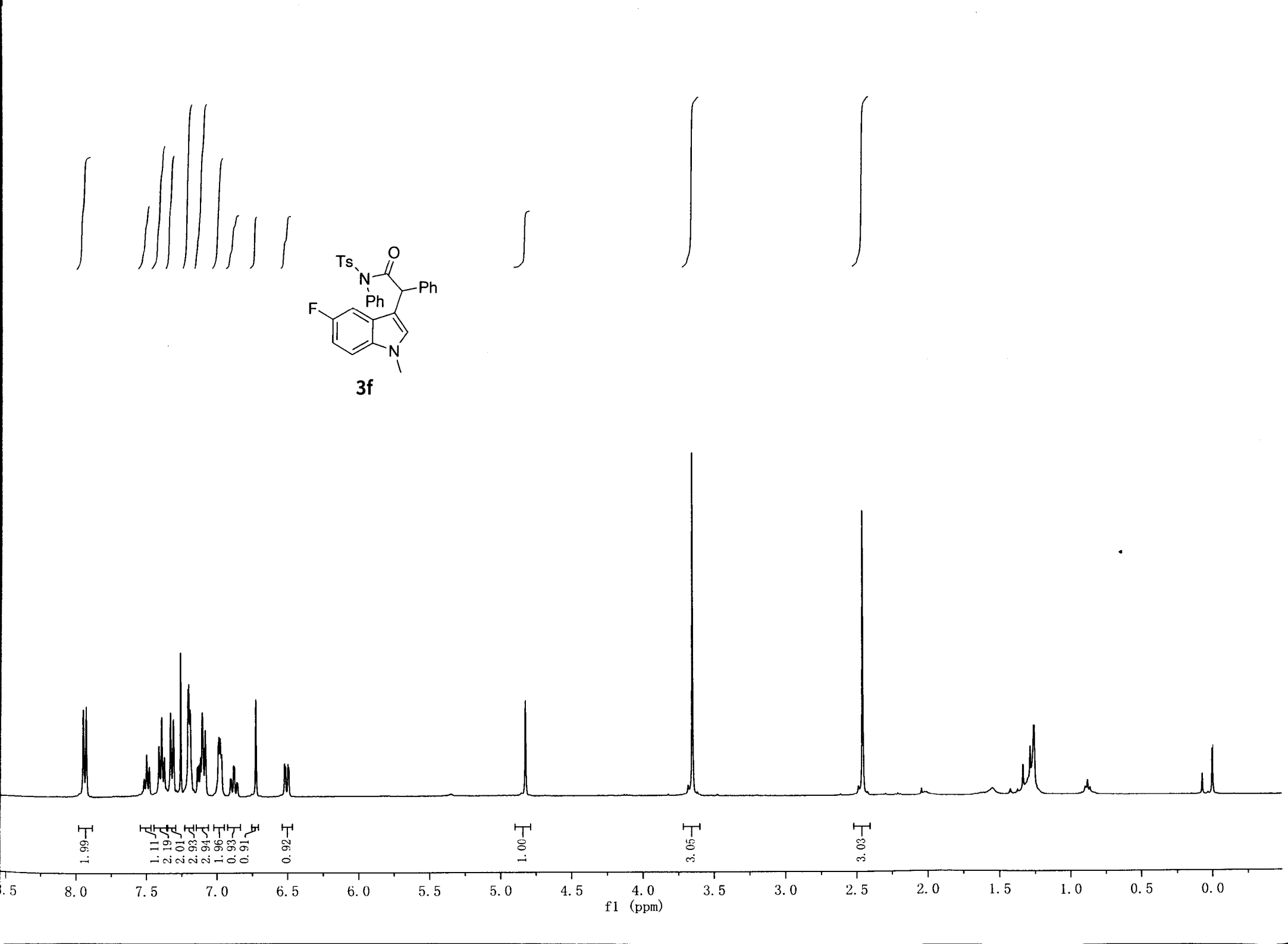
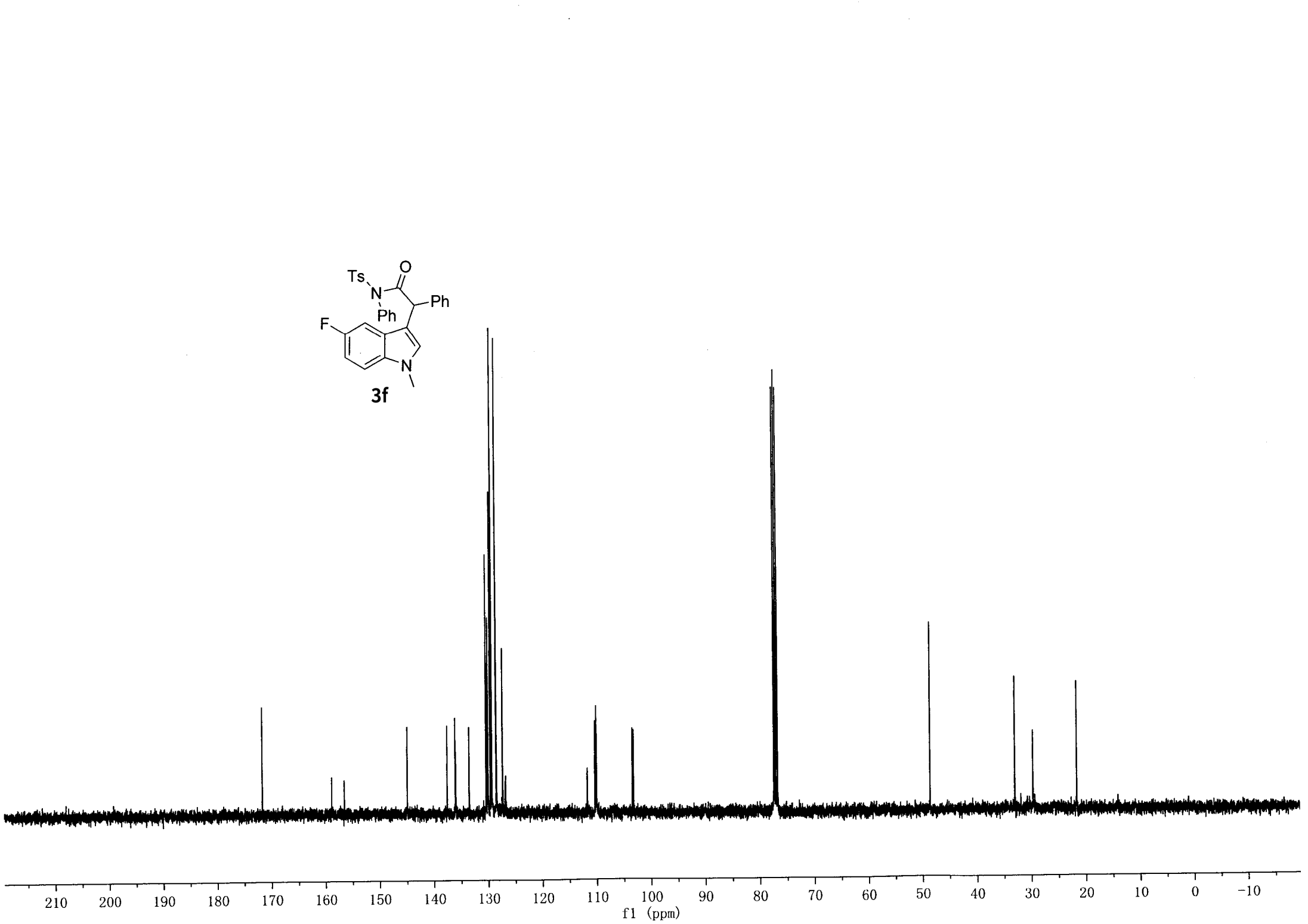
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

3e


Ts
N
O
Ph
Ph
Cl
N
3e
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
O
Ph
Ph
F
N
3f
1.99
1.11
2.19
2.01
2.93
2.94
1.96
0.93
0.91
0.92
1.00
3.05
3.03
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
N
O
Ph
Ph
F
N
3f
210
200
190
180
170
160
150
140
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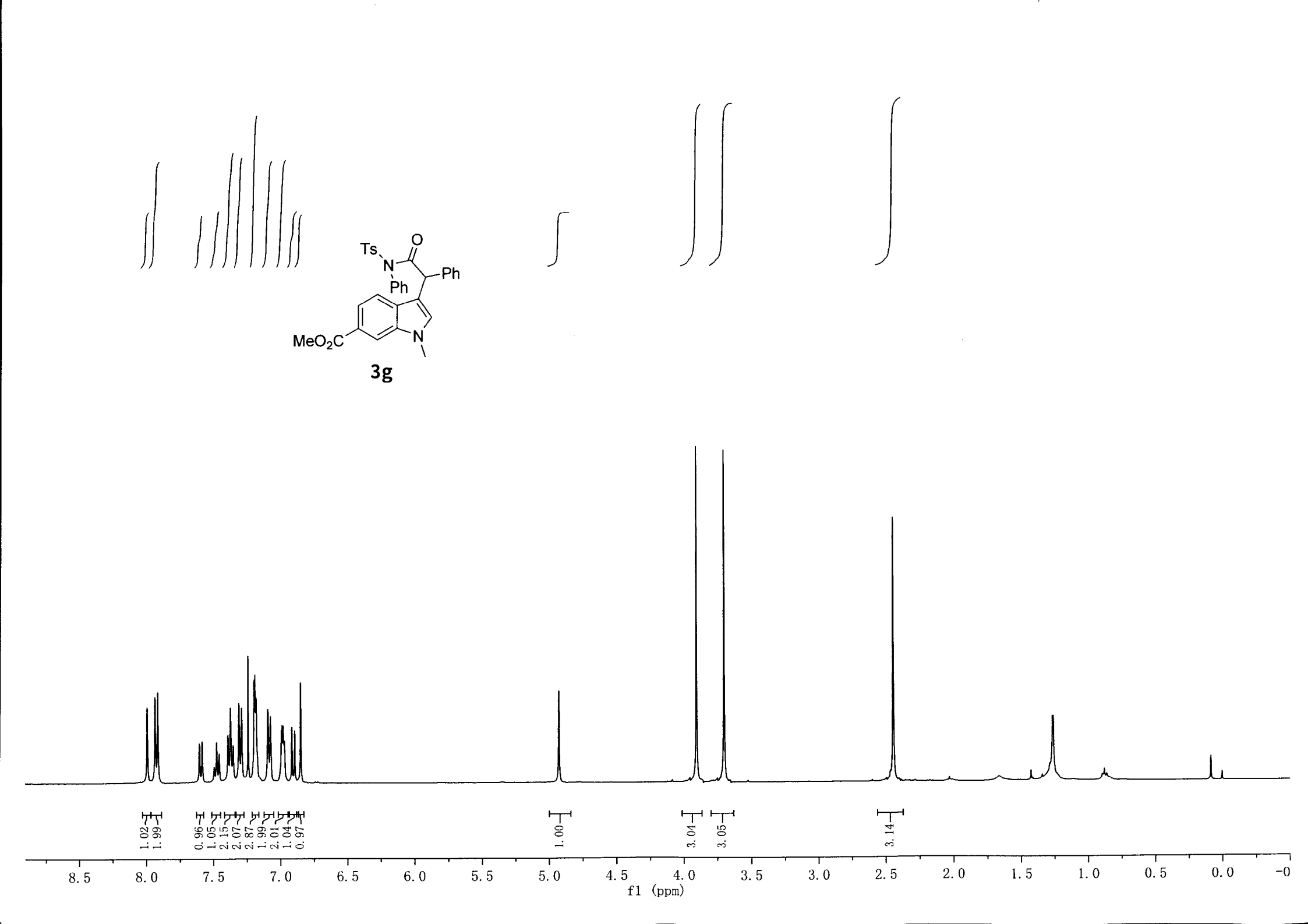
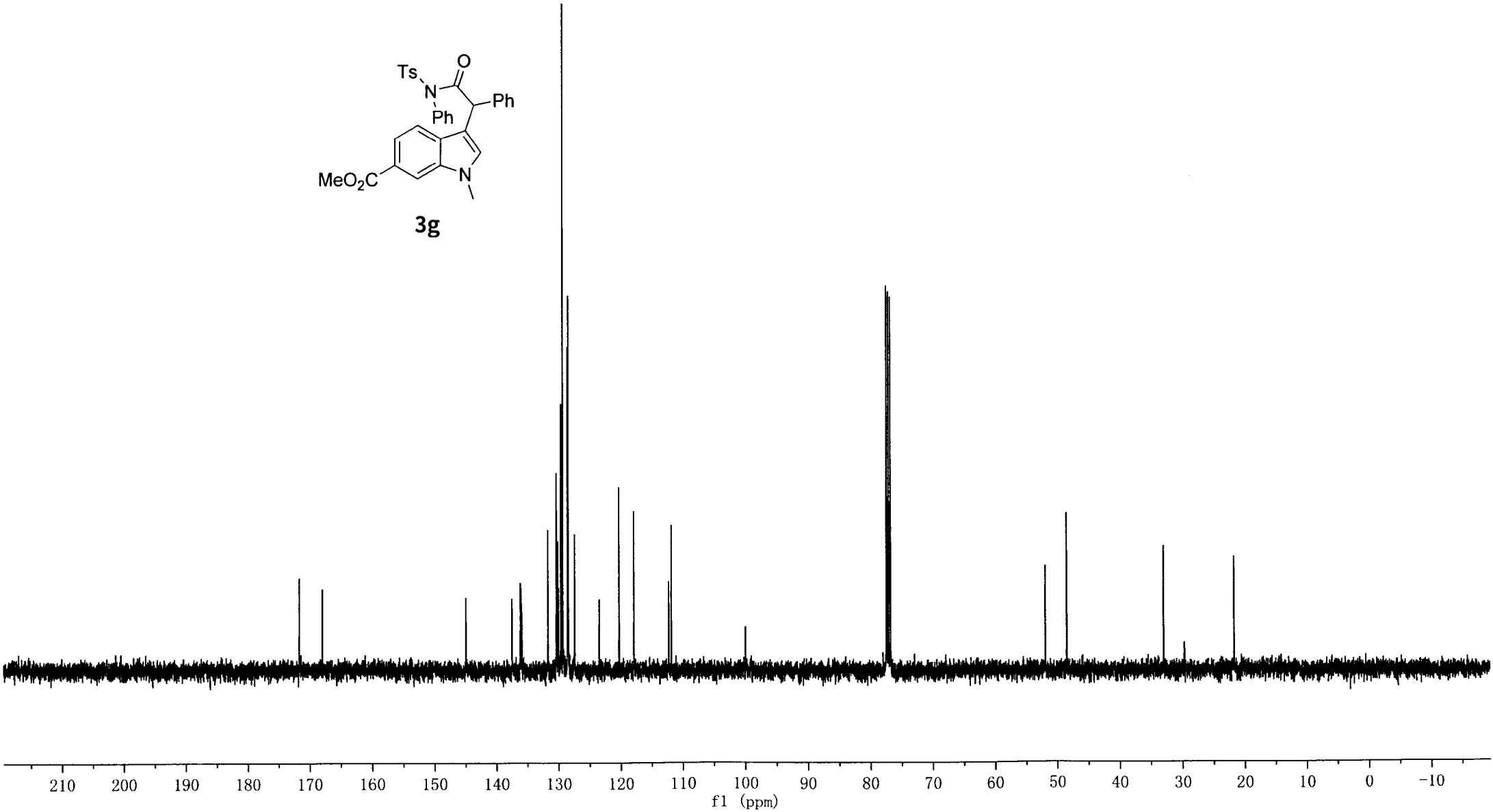
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
Ph
Ph
MeO2C
N
3g
1.02
1.99
0.96
1.05
2.15
2.07
2.87
1.99
2.01
1.04
0.97
1.00
3.04
3.05
3.14
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0
f1 (ppm)

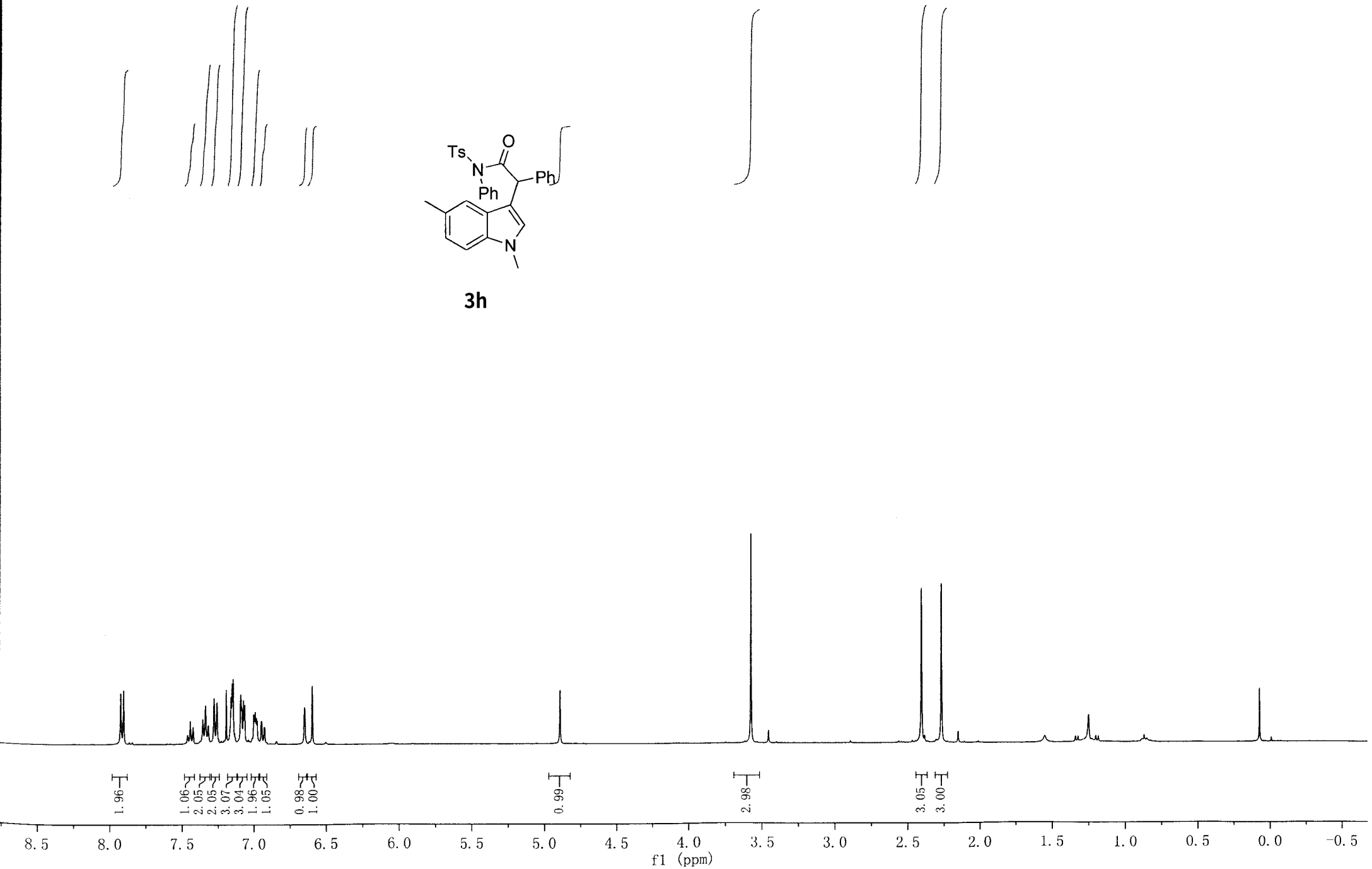
Ts
N
O
Ph
Ph
MeO2C
N

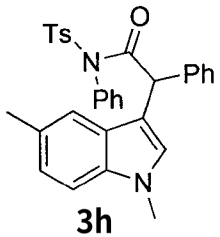
3g


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

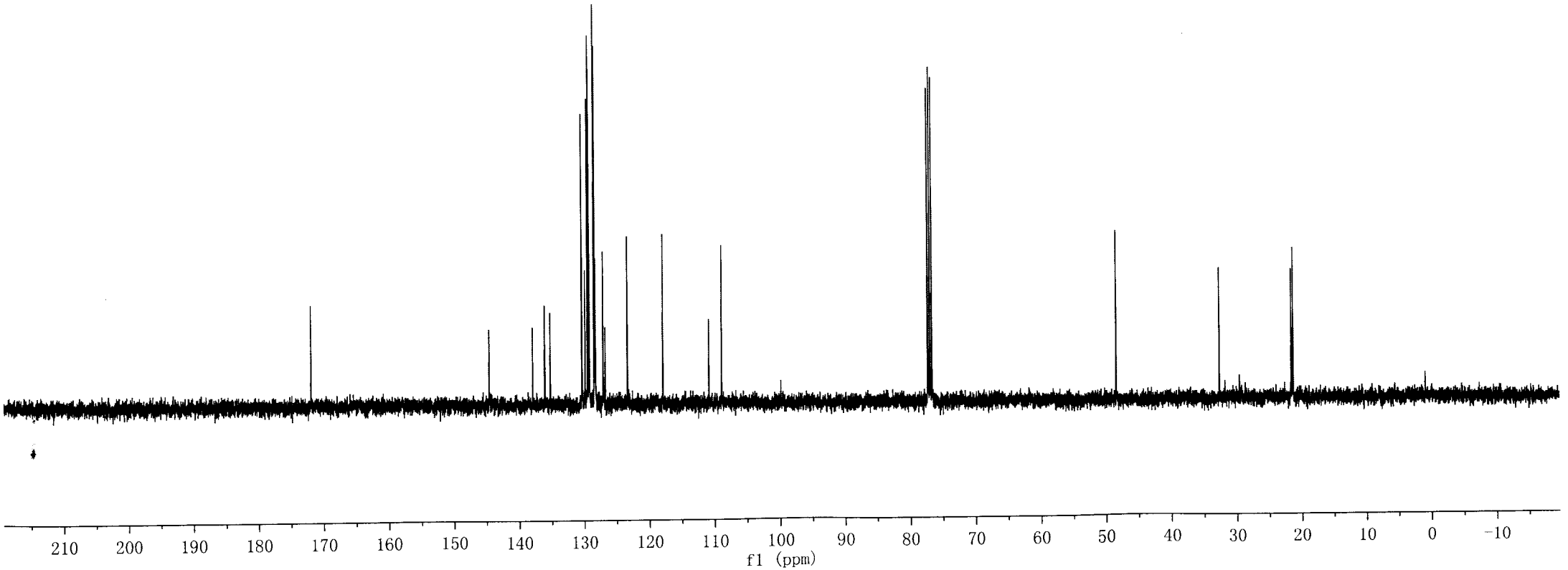
Ts
N
O
Ph
Ph
N

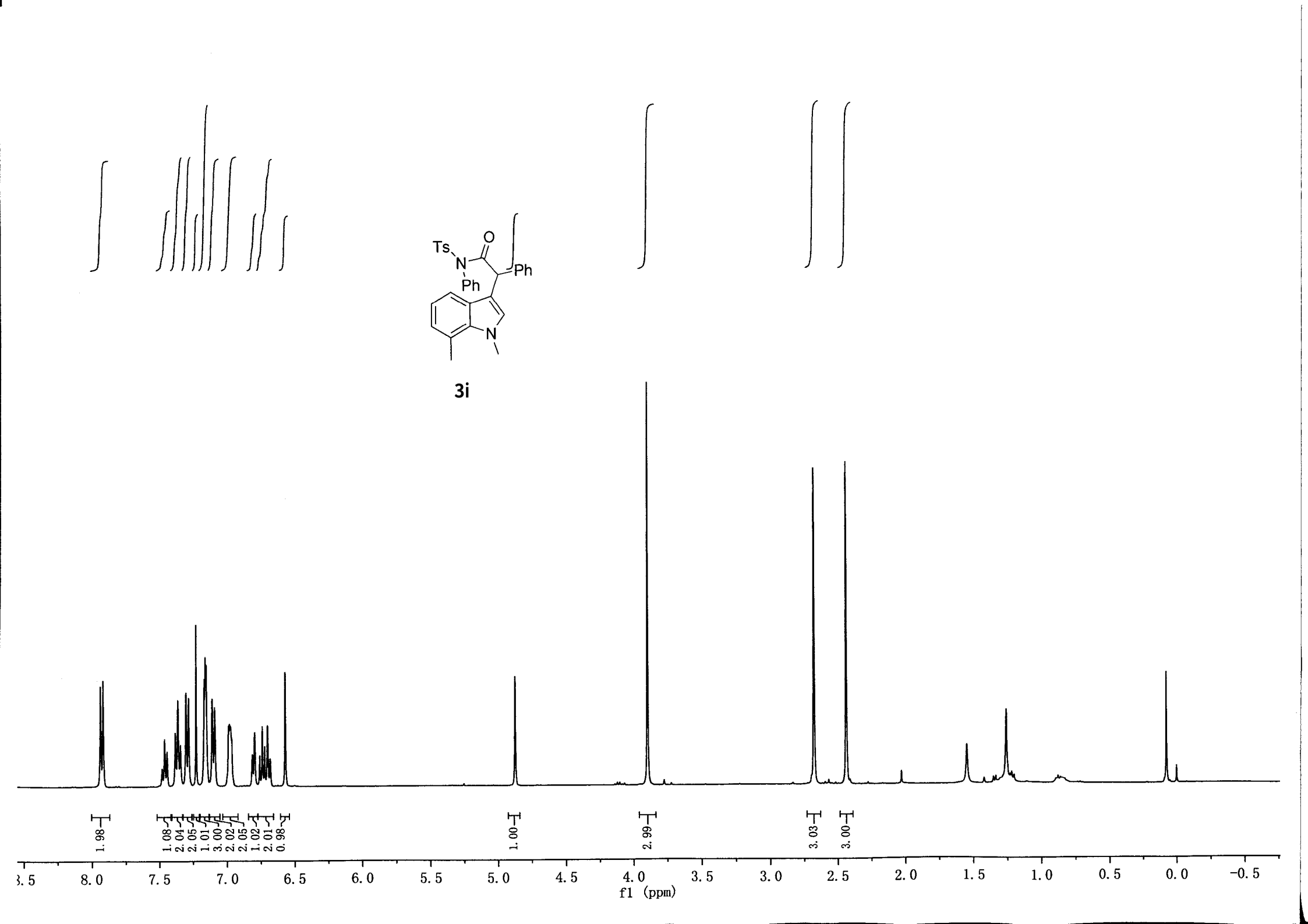
3h


1.96
1.06
2.05
2.05
3.07
3.04
1.96
1.05
0.98
1.00
0.99
2.98
3.05
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

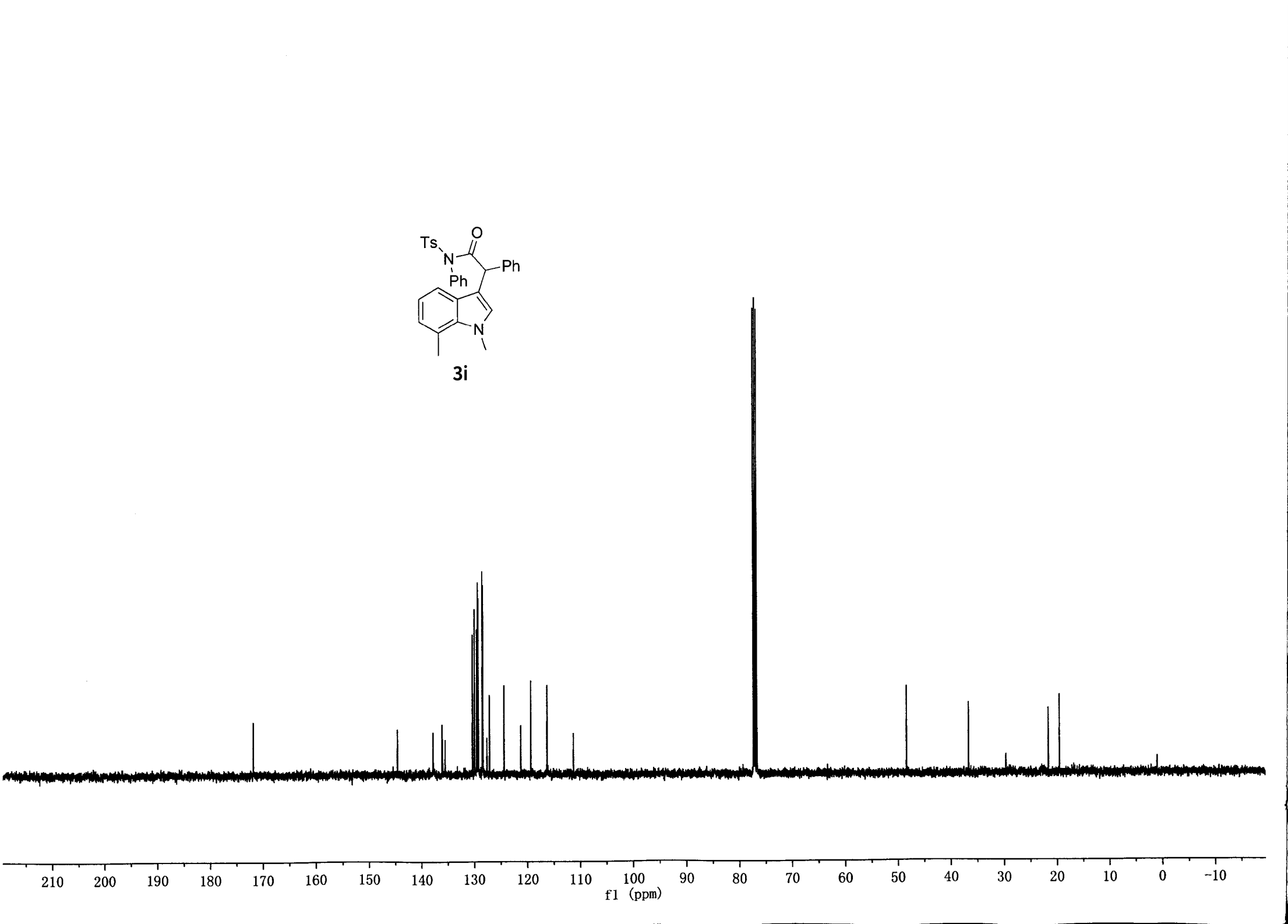

Ts
N
O
Ph
Ph
N

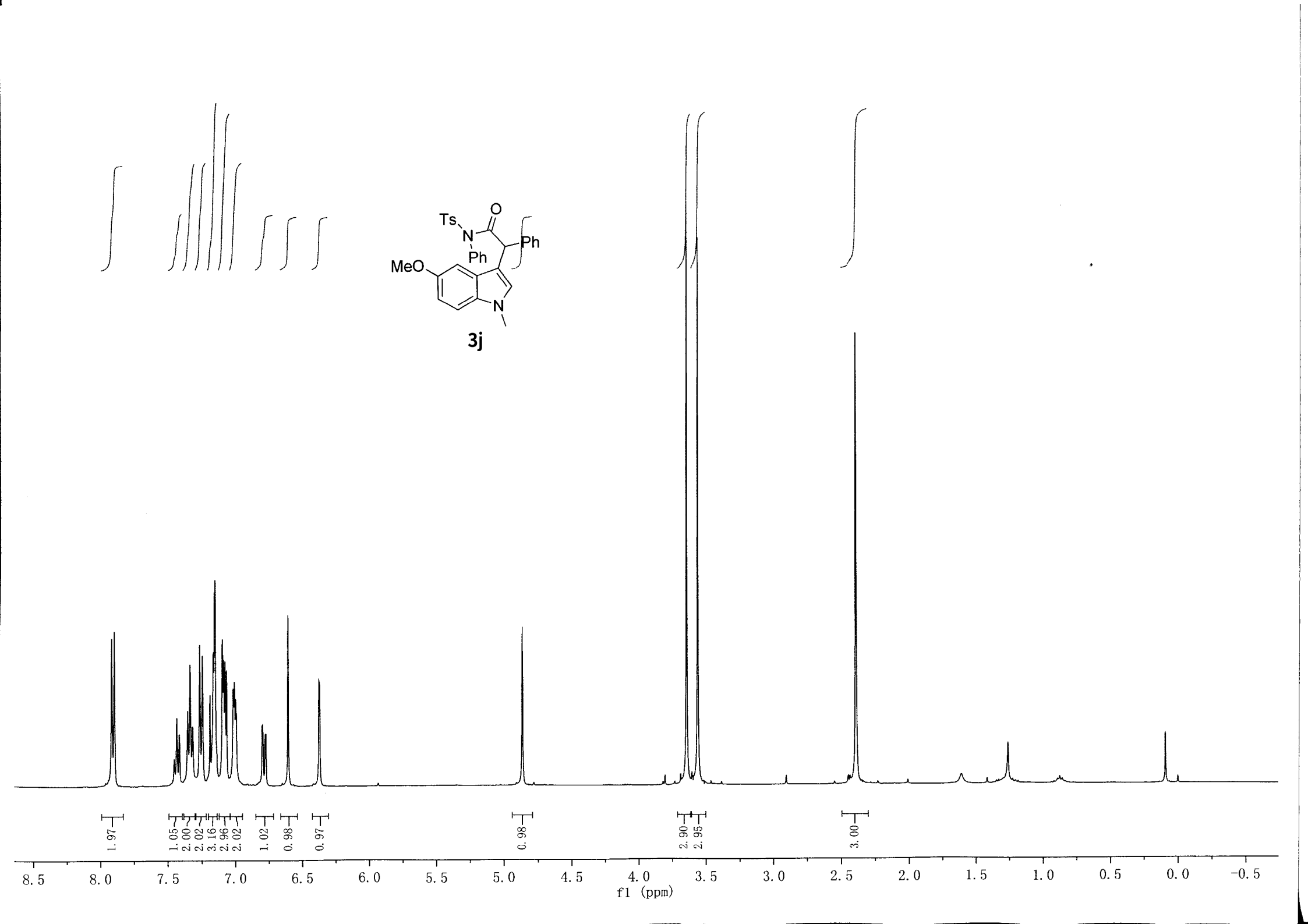
3h

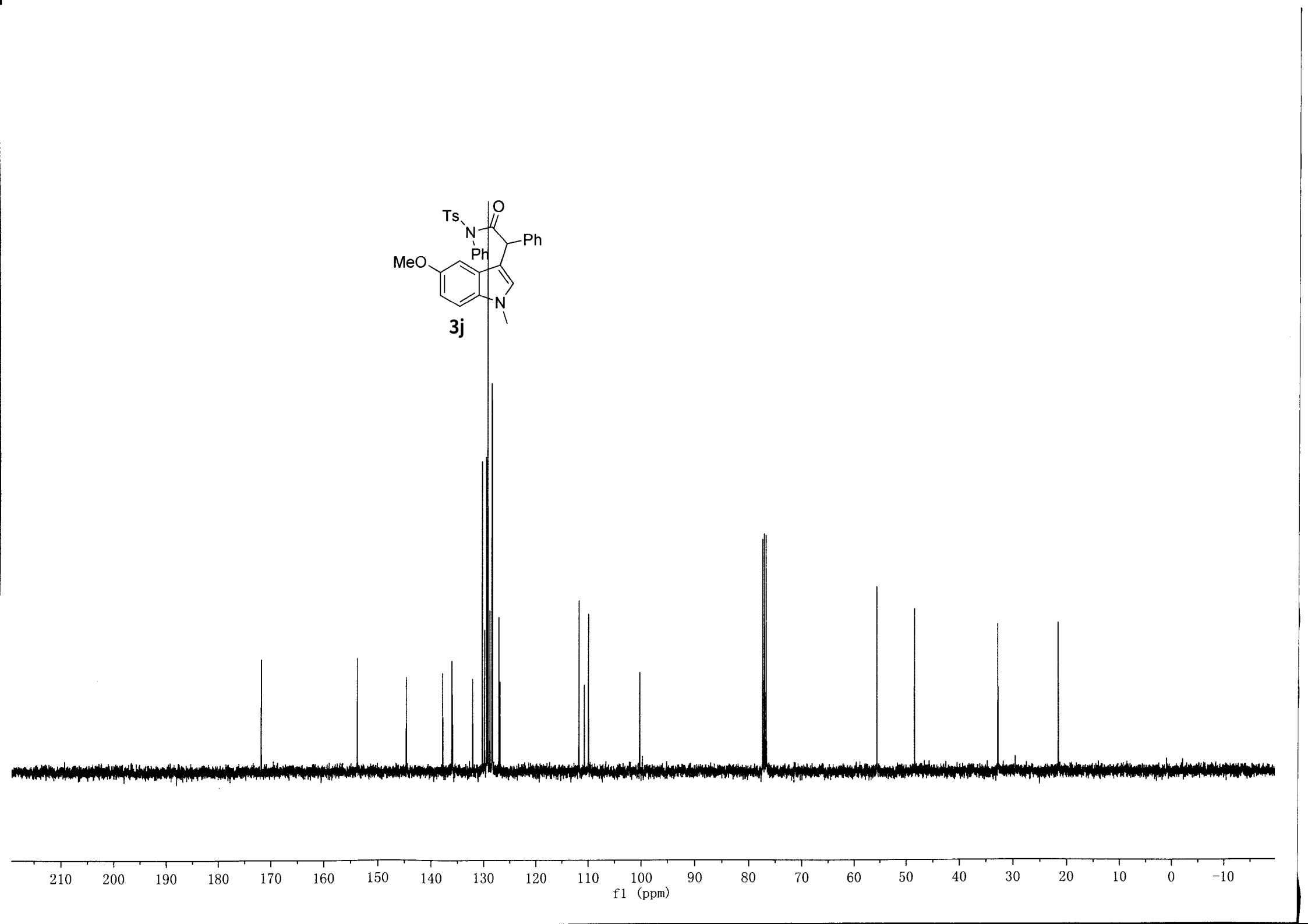

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

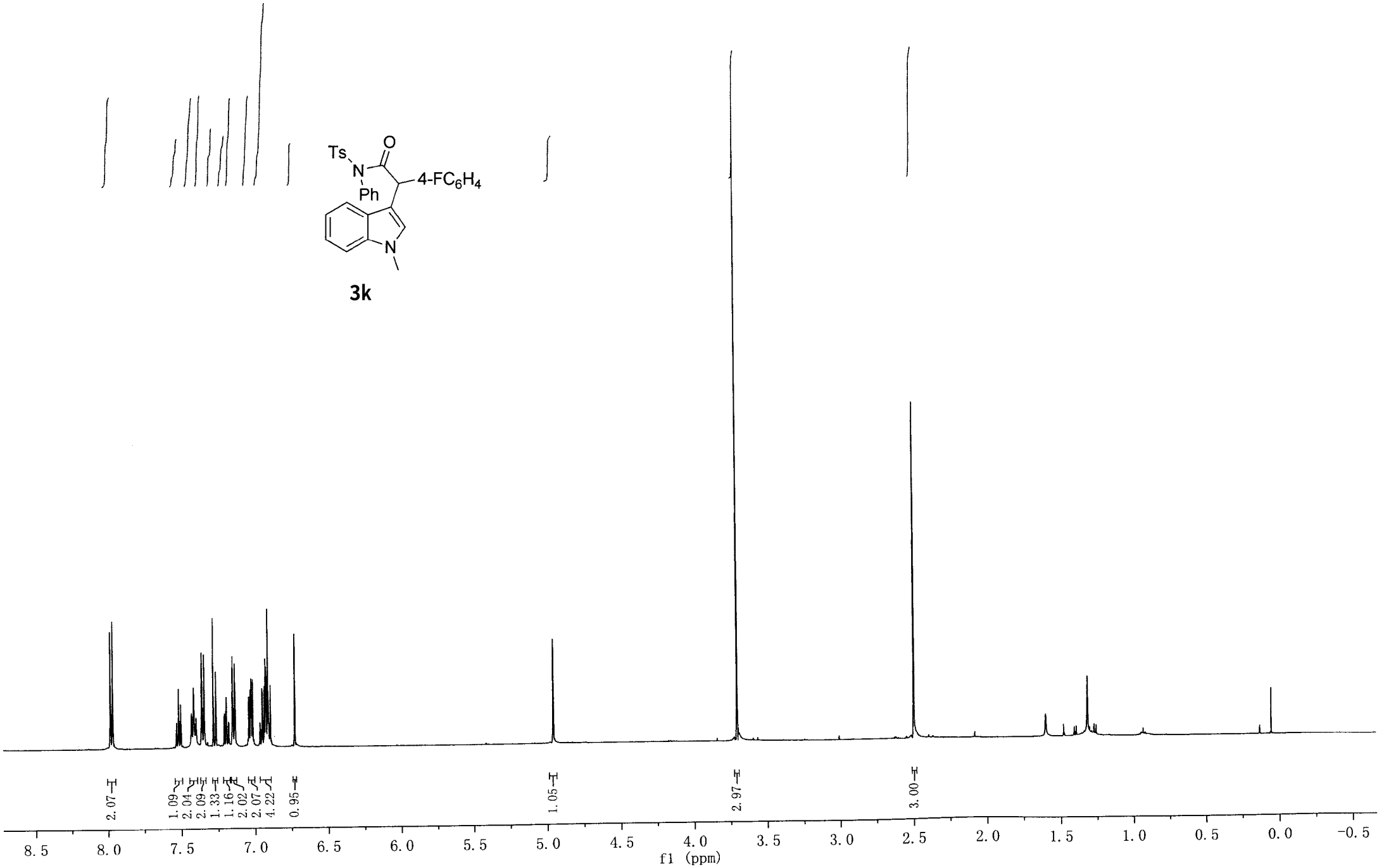

Ts
N
O
Ph
Ph
N
1.98
1.08
2.04
2.05
1.01
3.00
2.02
2.05
1.02
2.01
0.98
1.00
2.99
3.03
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

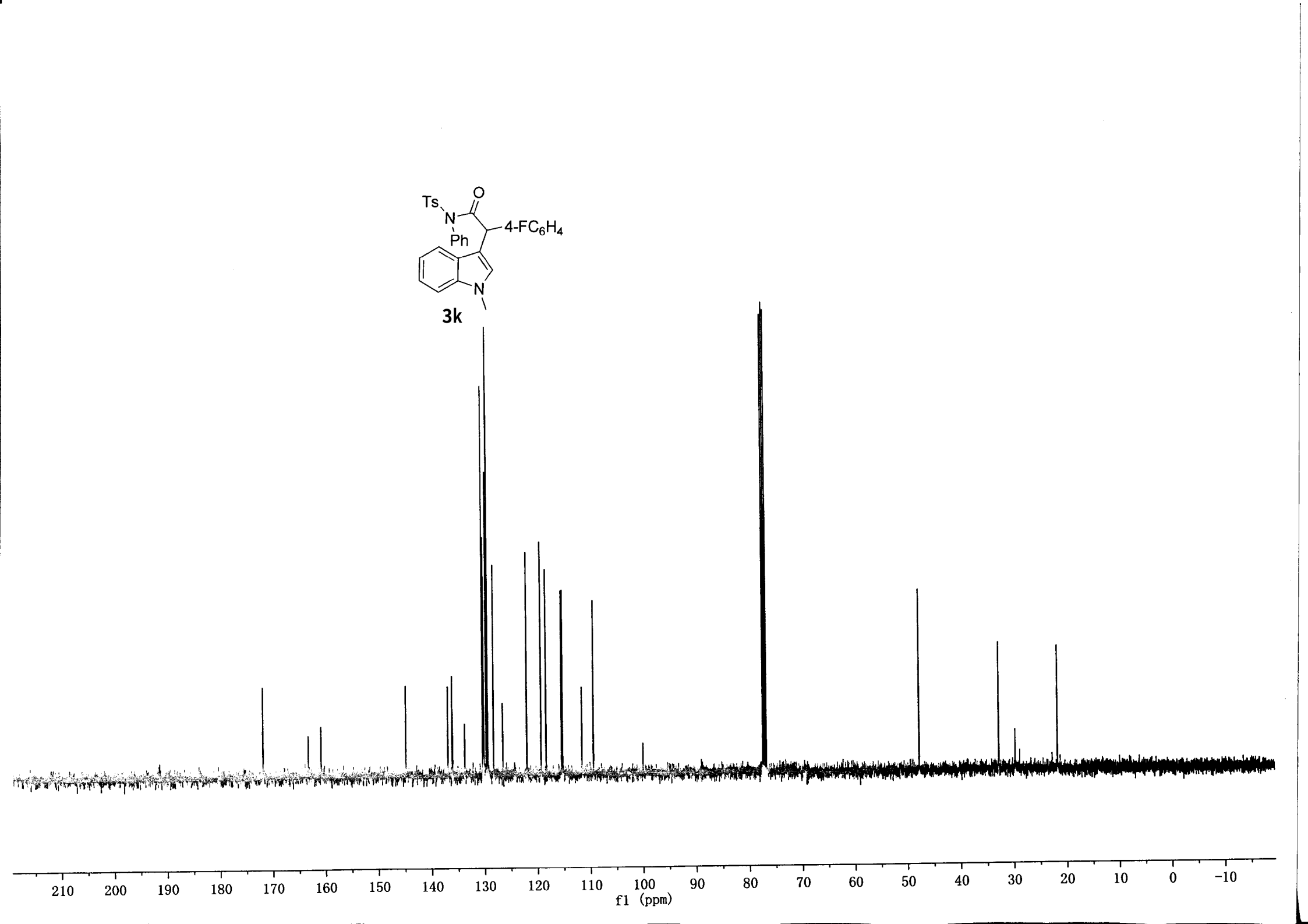
3i

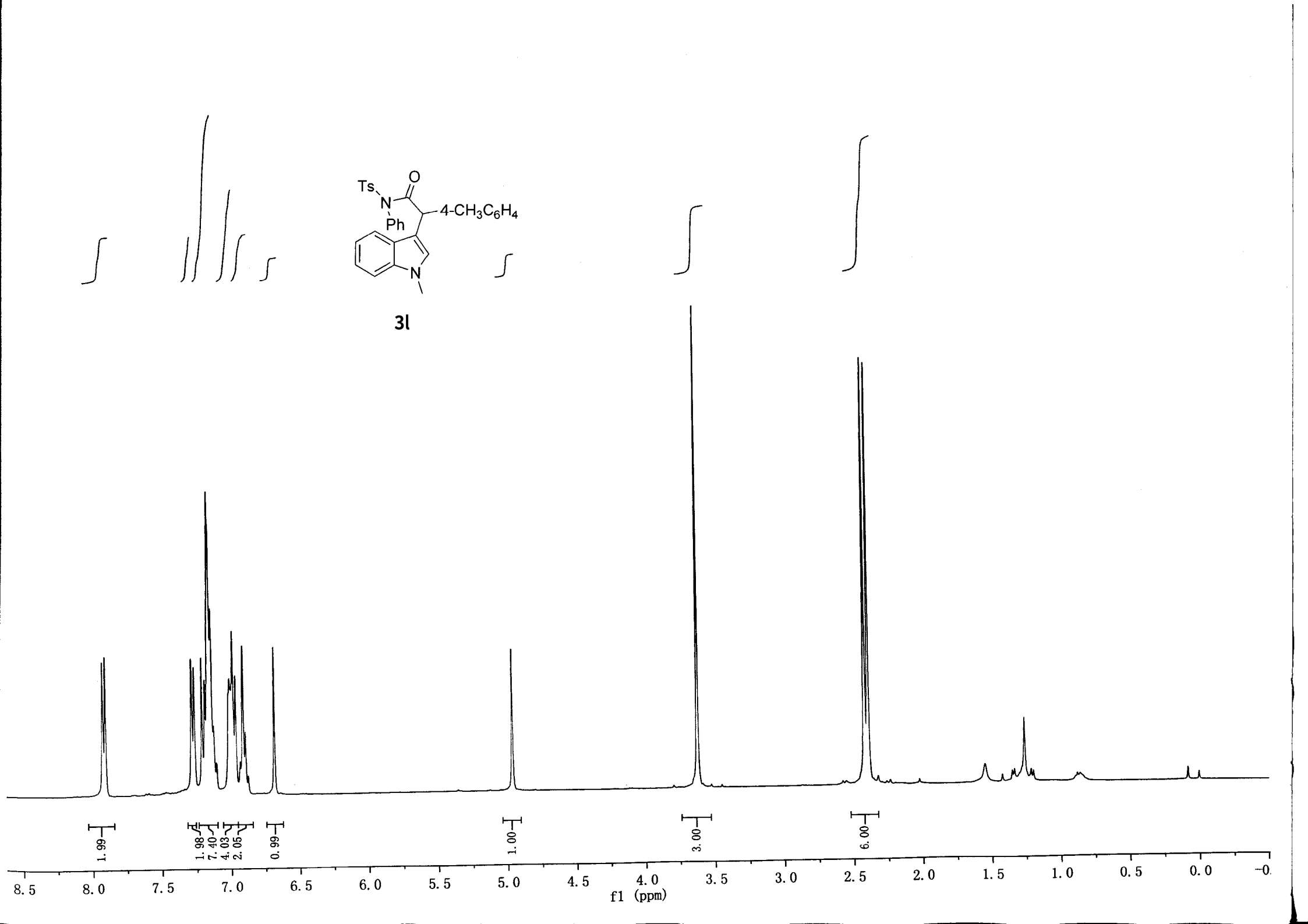

Ts
N
O
Ph
Ph
N
Ph
3i
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

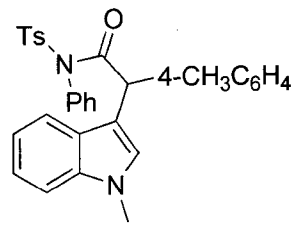

Ts
N
O
Ph
Ph
MeO
N
3j
1.97
1.05
2.00
2.02
3.16
2.96
2.02
1.02
0.98
0.97
0.98
2.90
2.95
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ts
N
O
Ph
Ph
MeO
N
3j
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

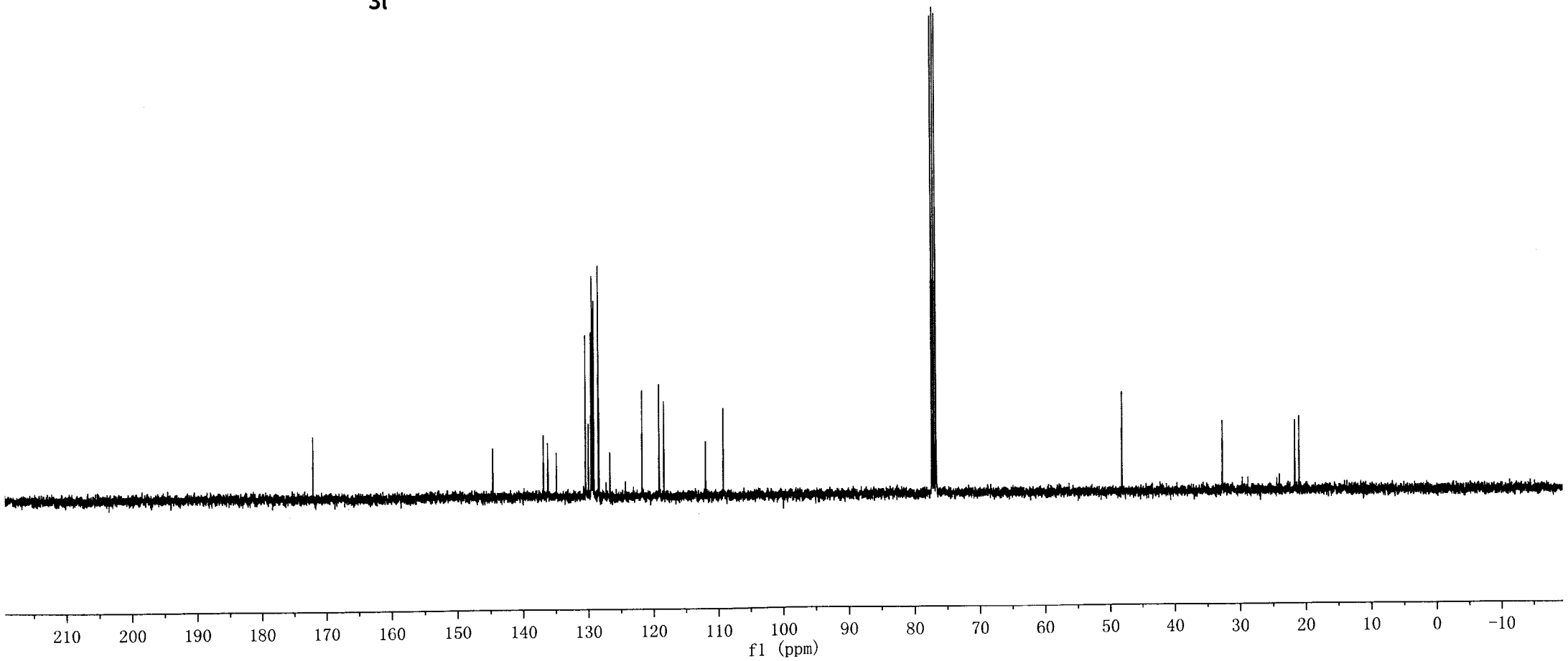

Ts
N
O
Ph
4-FC6H4
N
3k
2.07
1.09
2.04
2.09
1.33
1.16
2.02
2.07
4.22
0.95
1.05
2.97
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

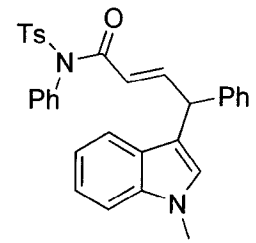

Ts
N
O
Ph
4-FC6H4
N
3k
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
Ph
O
4-CH3C6H4
N
3l
1.99
1.98
7.40
4.03
2.05
0.99
1.00
3.00
6.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

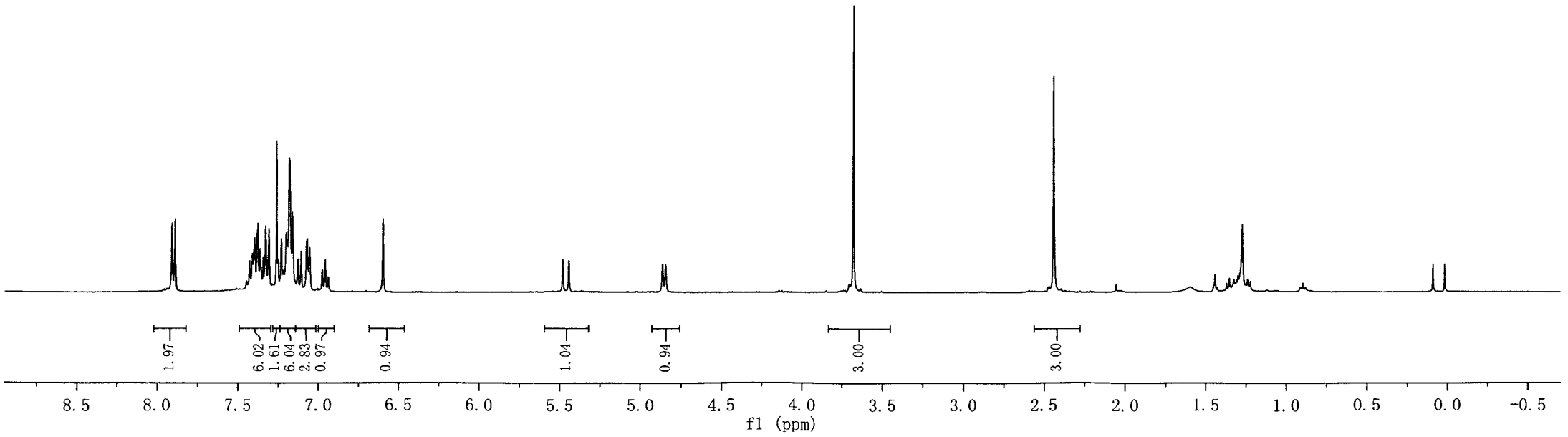

Ts
N
O
Ph
4-CH3C6H4
N

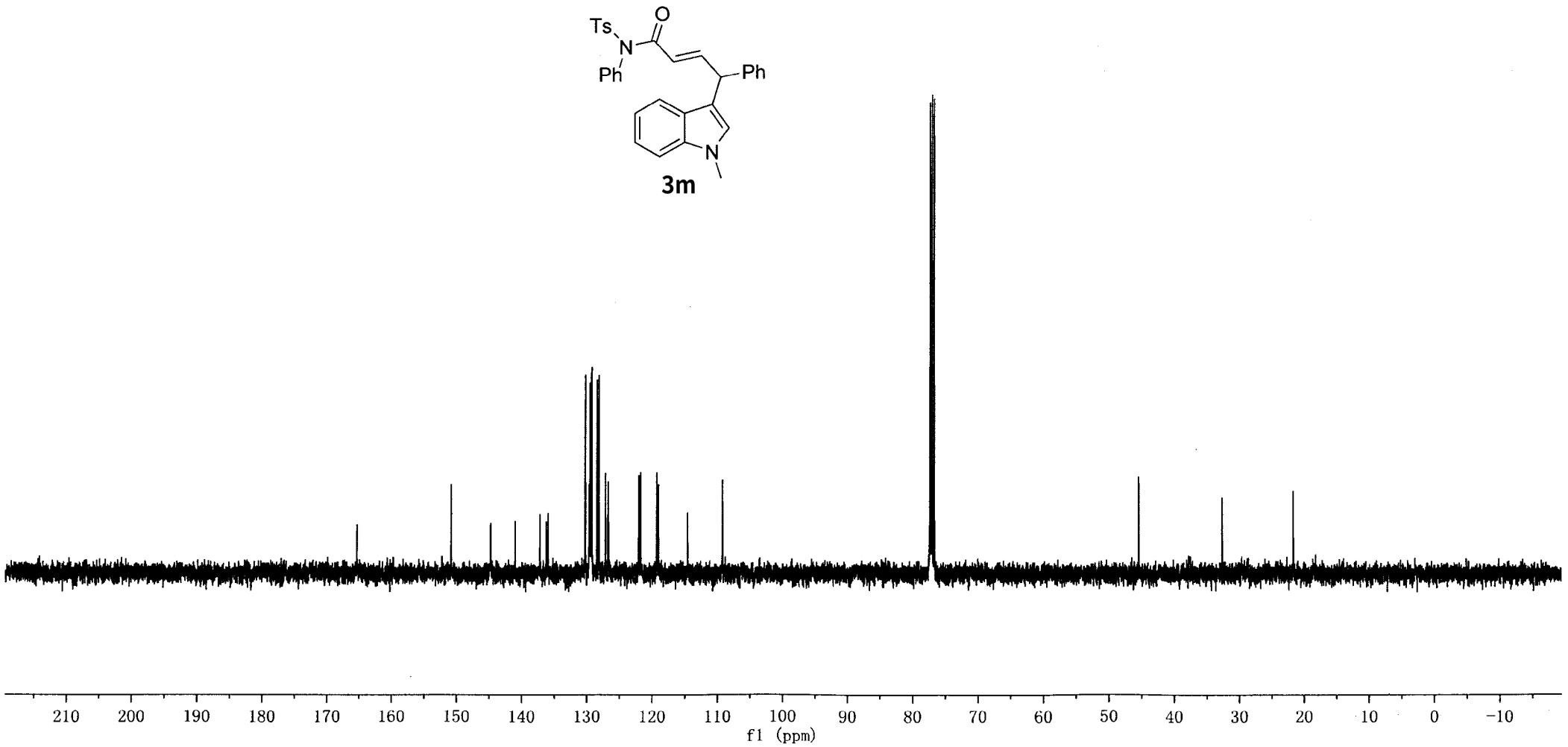
3l

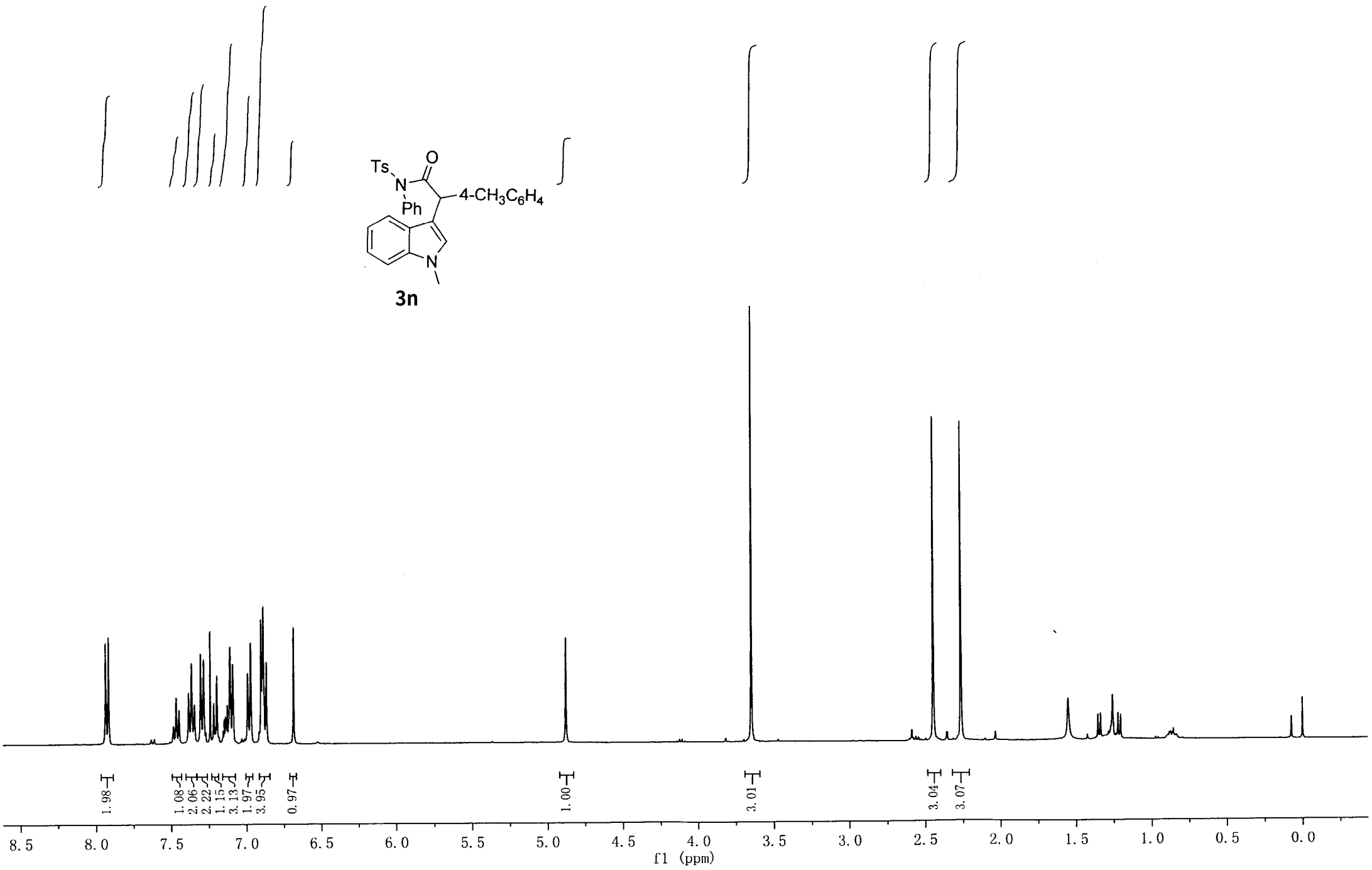

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

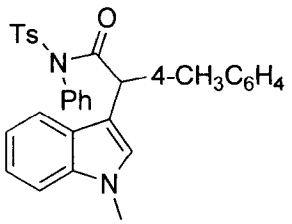

Ts
N
O
Ph
Ph
N

3m

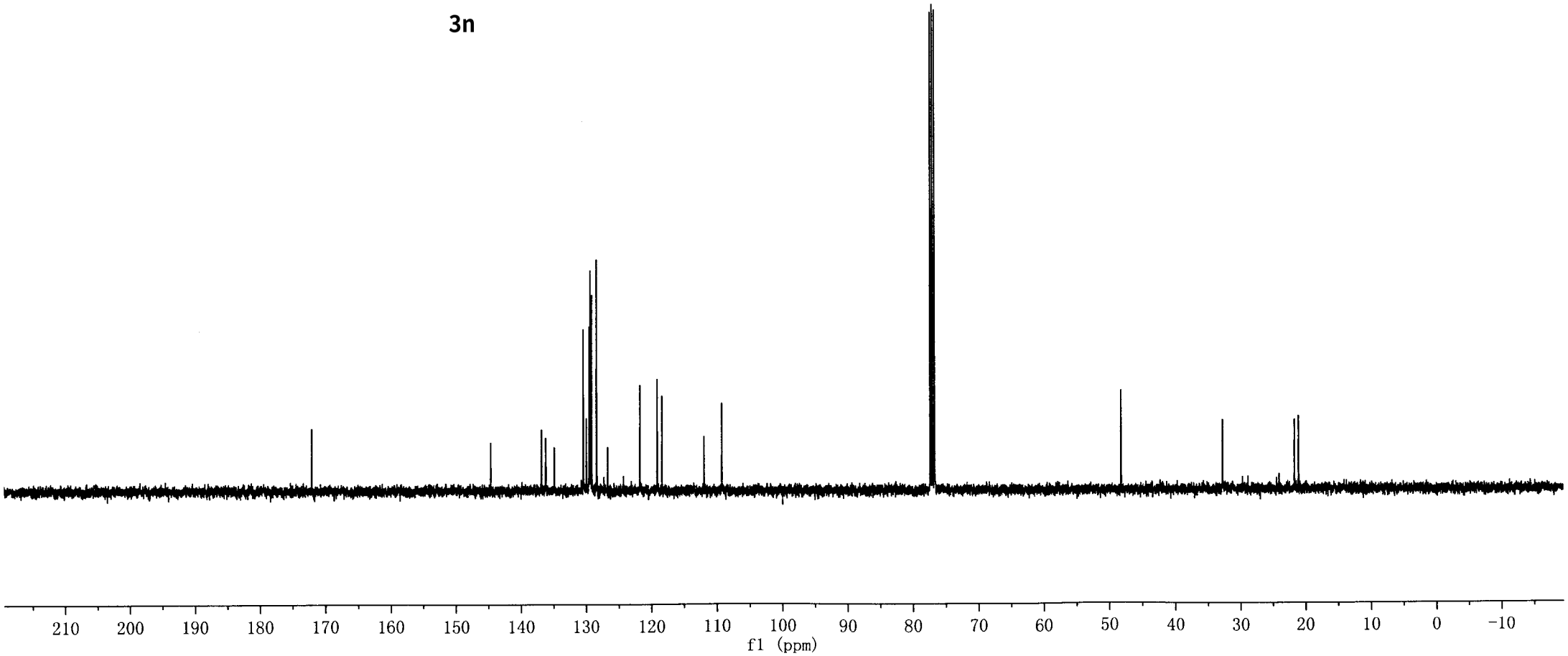

1.97
6.02
1.61
6.04
2.83
0.97
0.94
1.04
0.94
3.00
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

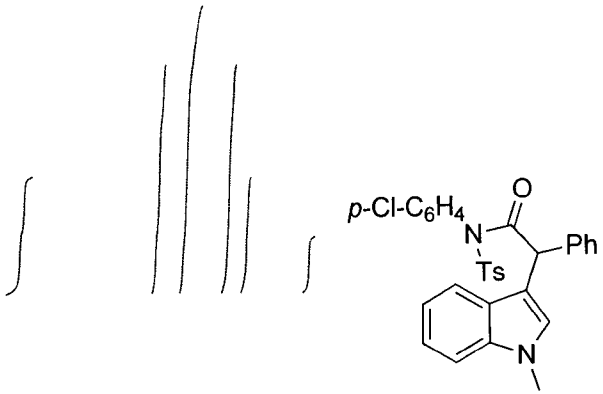

Ts
N
Ph
O
Ph
N
3m
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
Ph
4-CH3C6H4
N
3n
1.98
1.08
2.06
2.22
1.15
3.13
1.97
3.95
0.97
1.00
3.01
3.04
3.07
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

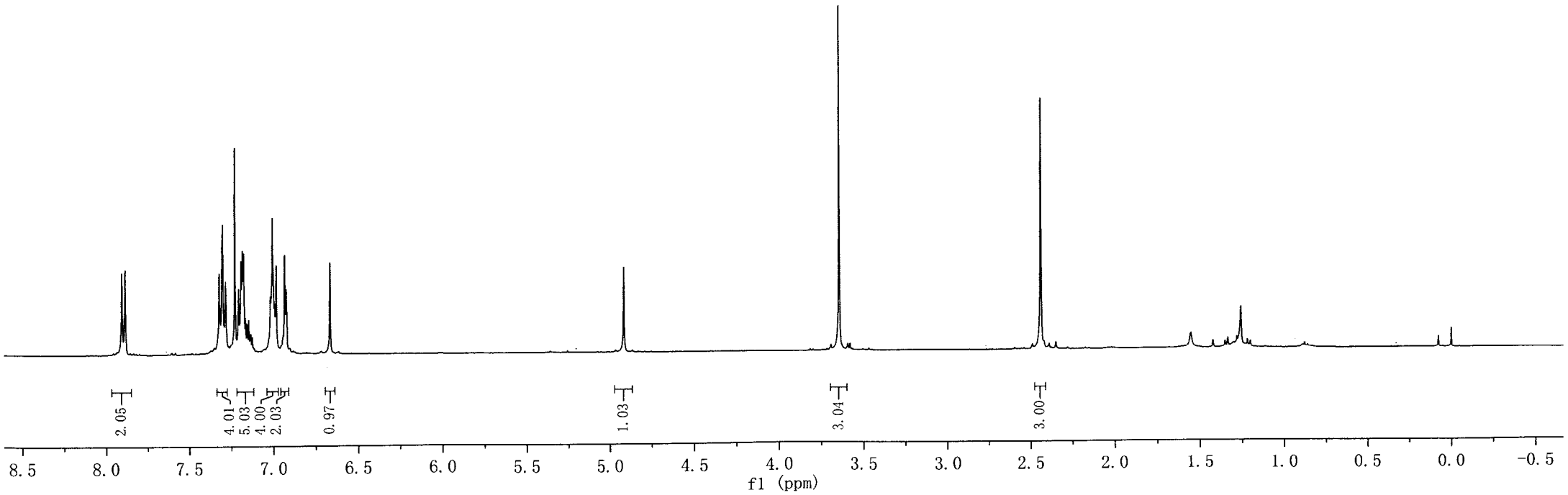

Ts
N
O
Ph
4-CH3C6H4
N

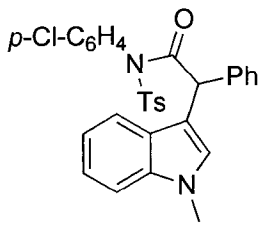
3n


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

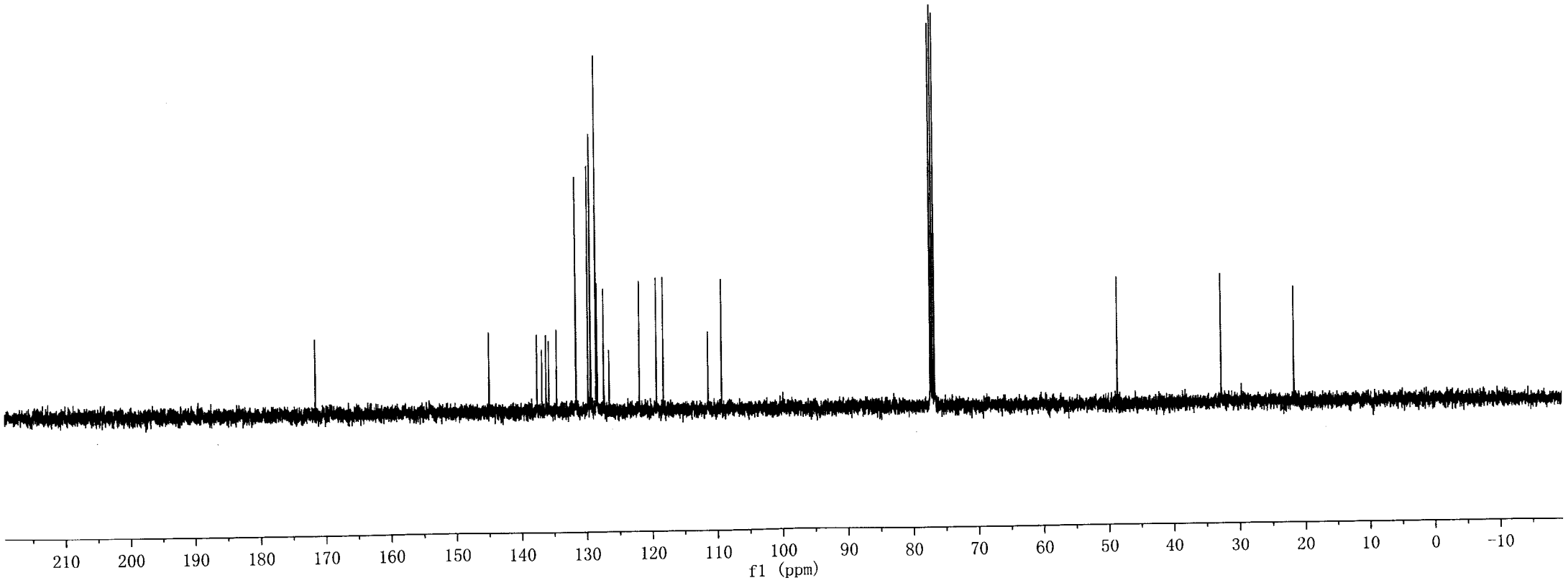

p-Cl-C6H4
O
N
Ts
Ph
N

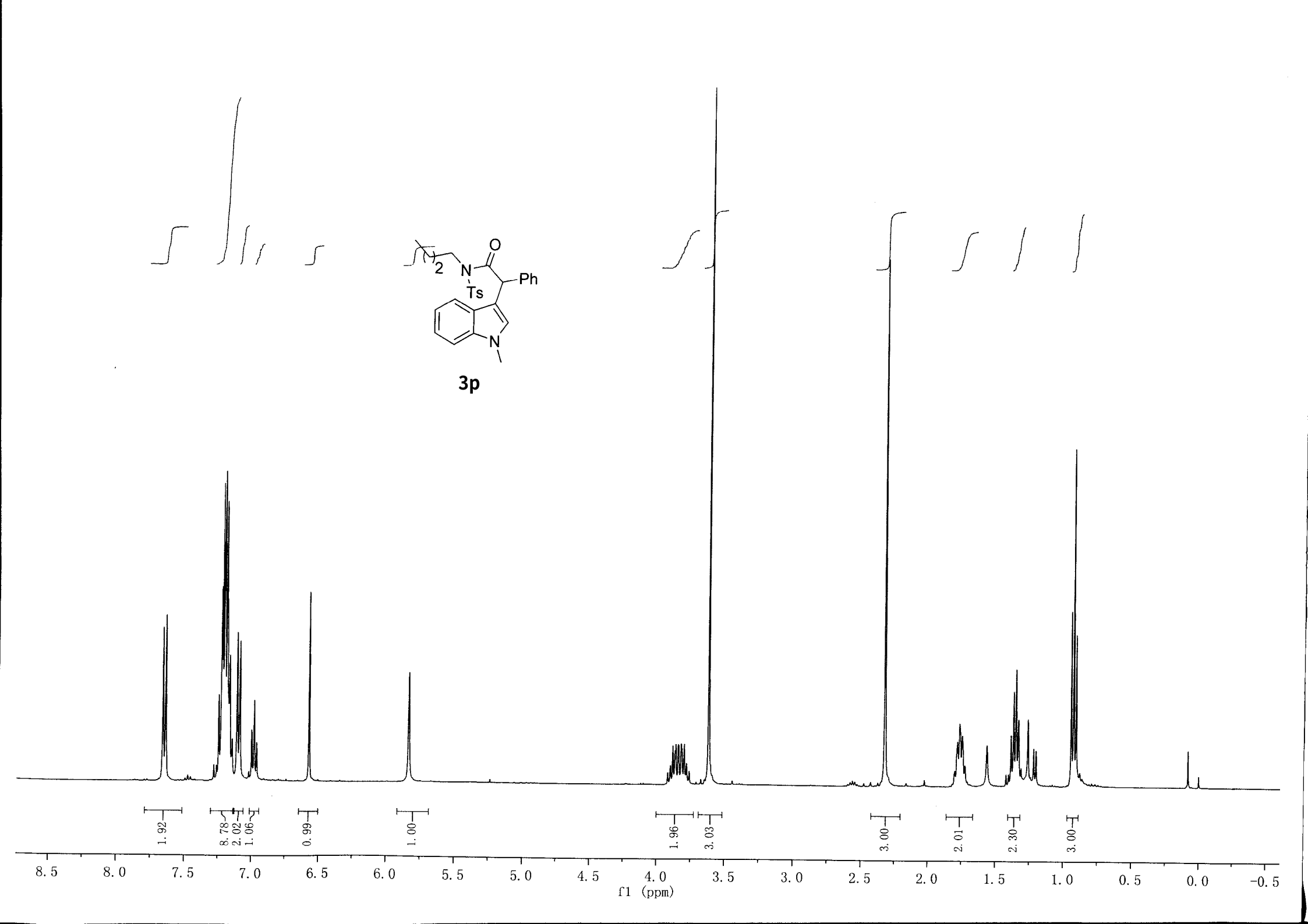
3o


2.05
4.01
5.03
4.00
2.03
0.97
1.03
3.04
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

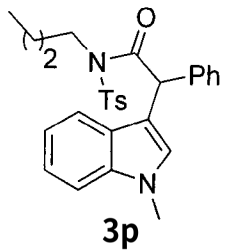

p-Cl-C6H4
O
N
Ts
Ph
N

3o

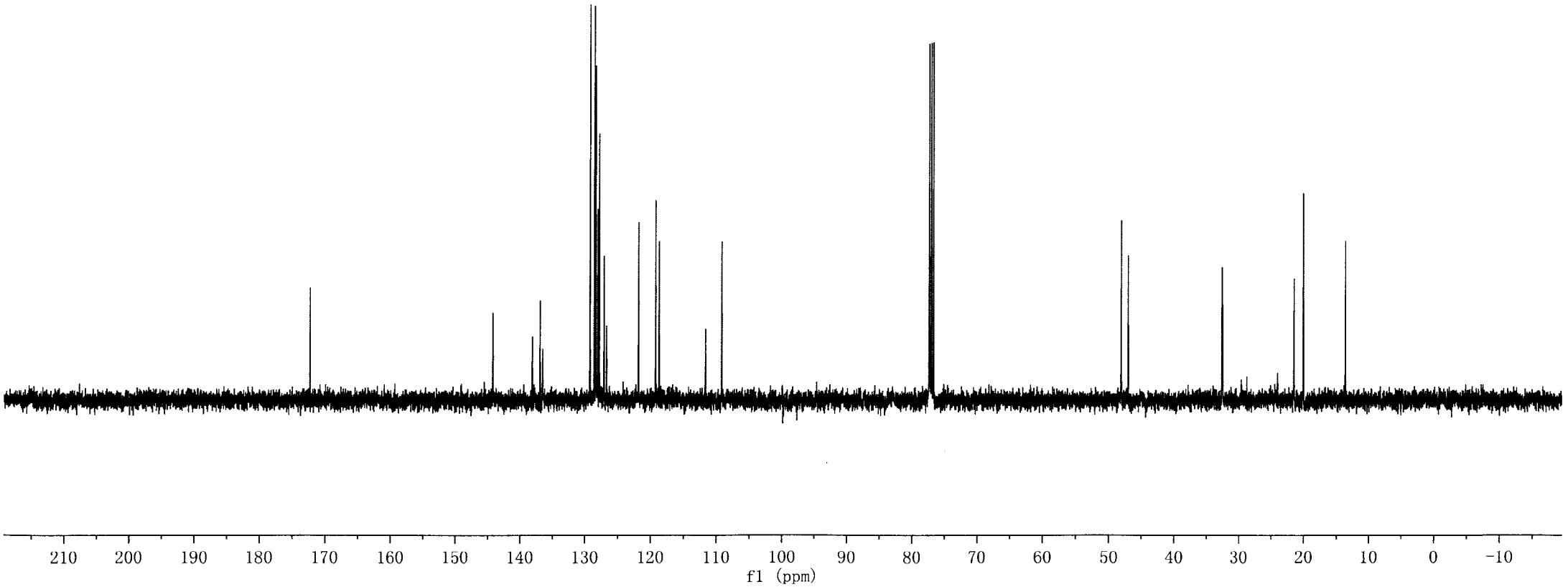

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

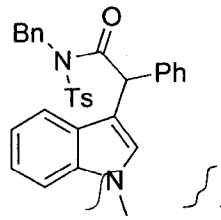

O
N
Ts
Ph
N
1.92
8.78
2.02
1.06
0.99
1.00
1.96
3.03
3.00
2.01
2.30
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

3p

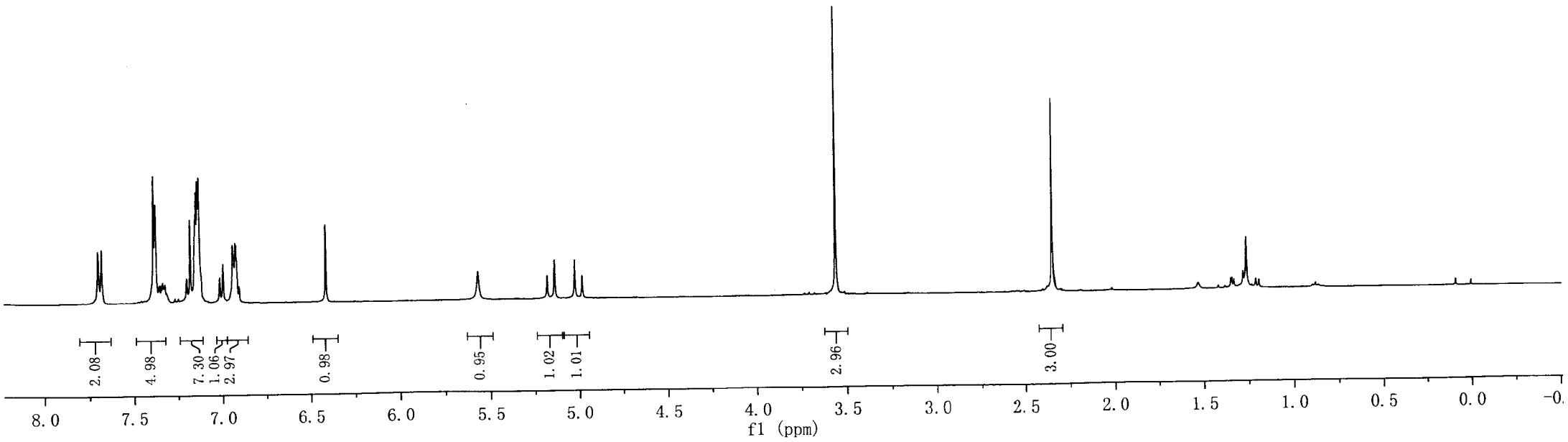

O
2
N
Ph
Ts
N

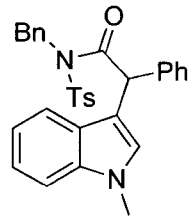
3p


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

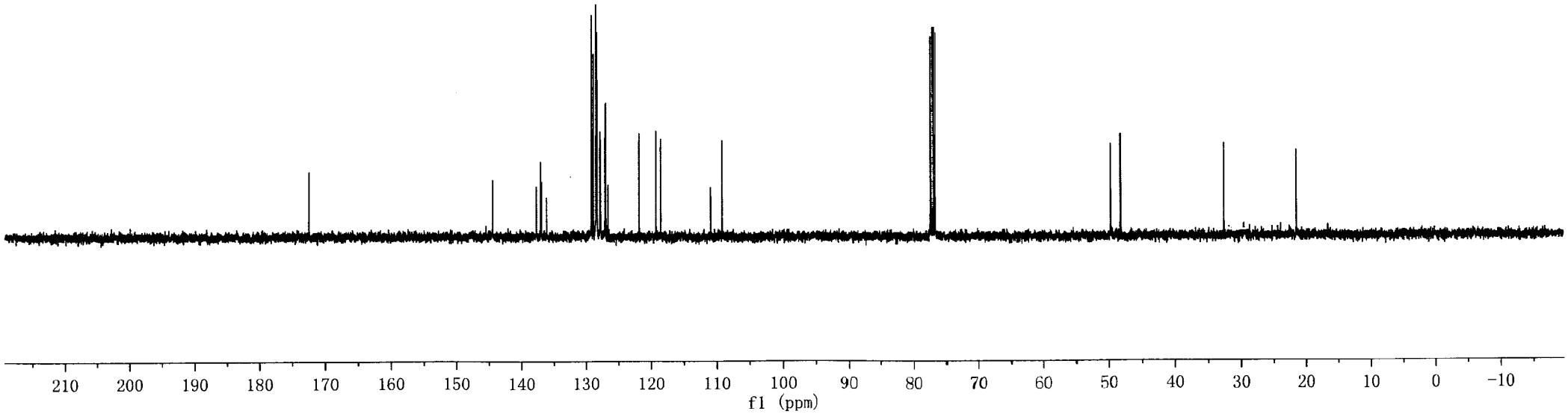

Bn
N
O
Ph
Ts
N

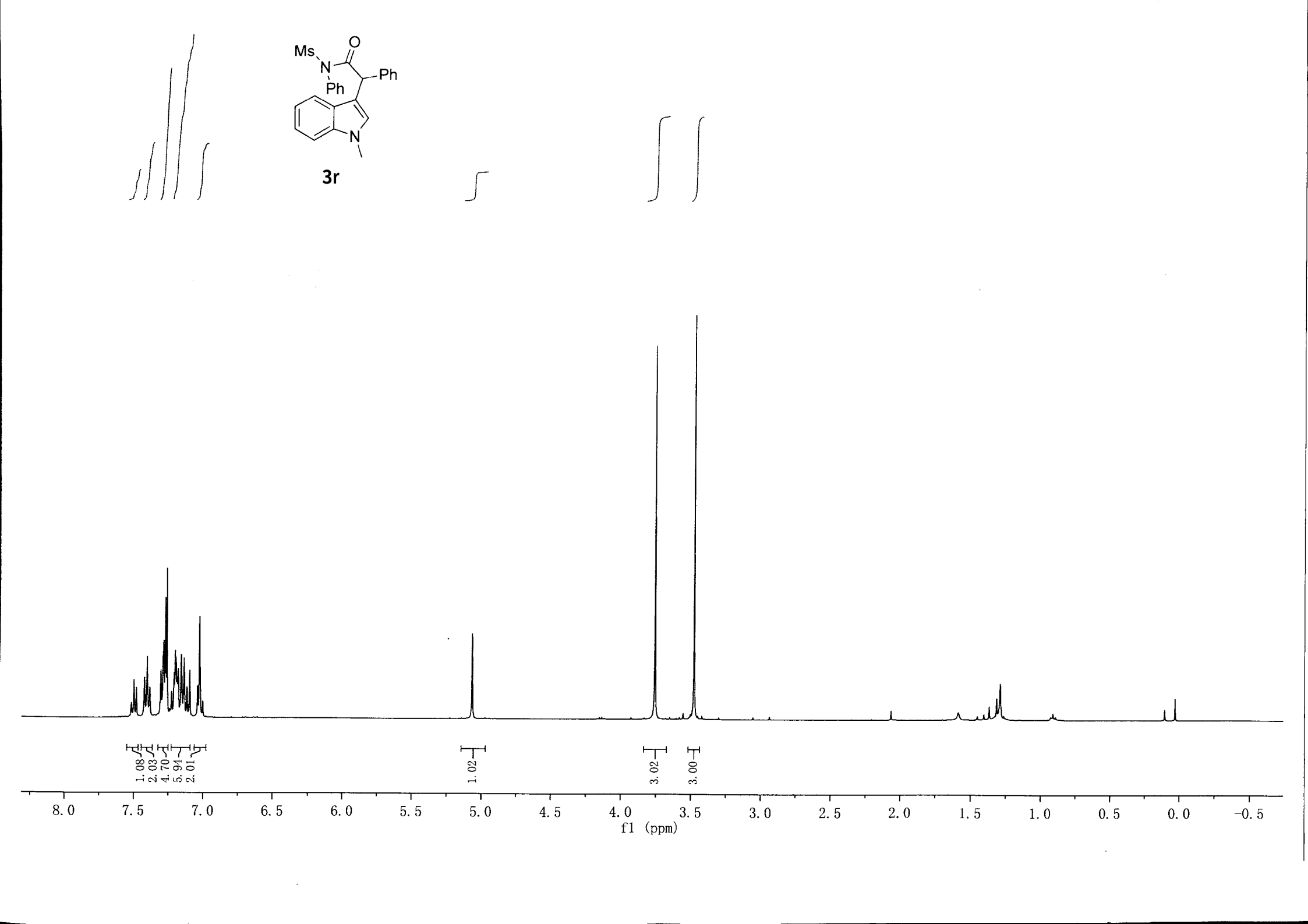
3q

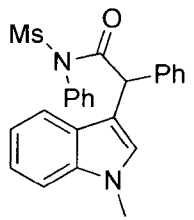

2.08
4.98
7.30
1.06
2.97
0.98
0.95
1.02
1.01
2.96
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Bn
N
O
Ph
Ts
N

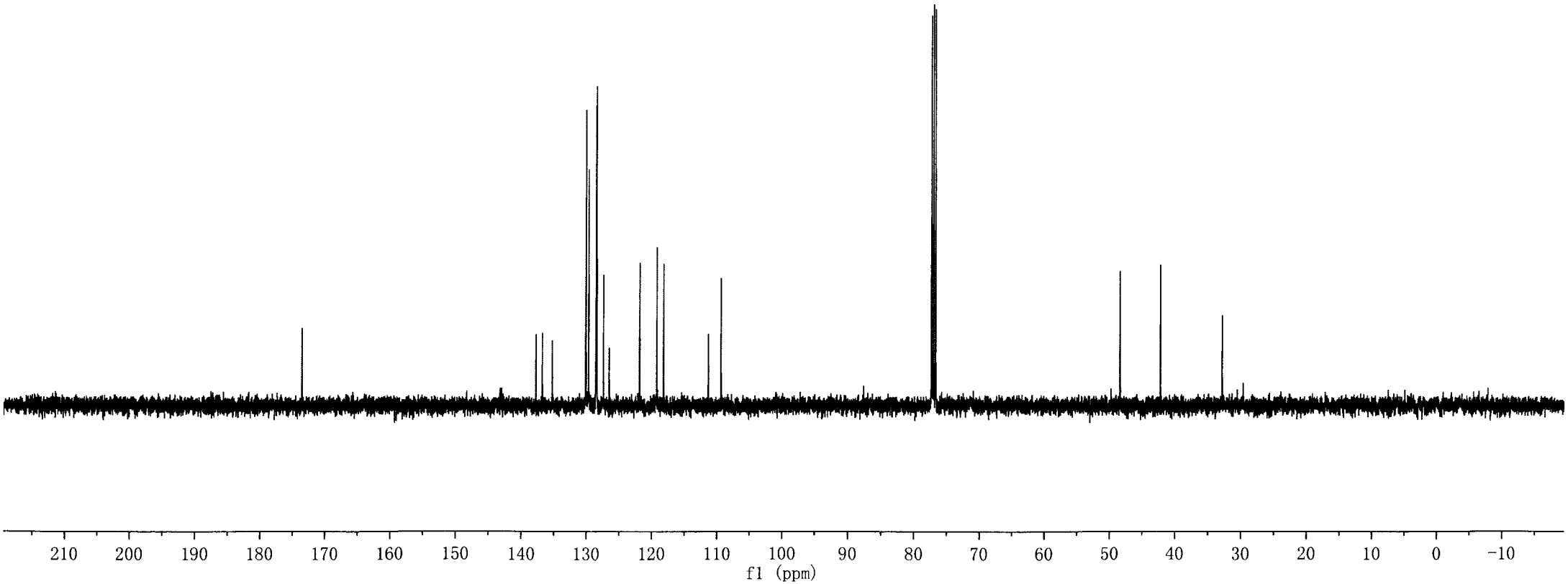
3q

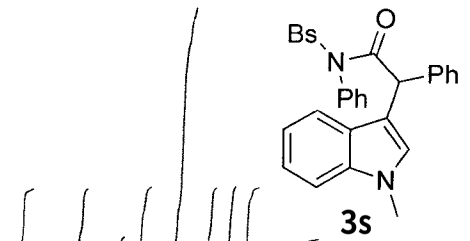

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ms
N
O
Ph
Ph
N
3r
1.08
2.03
4.70
5.94
2.01
1.02
3.02
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

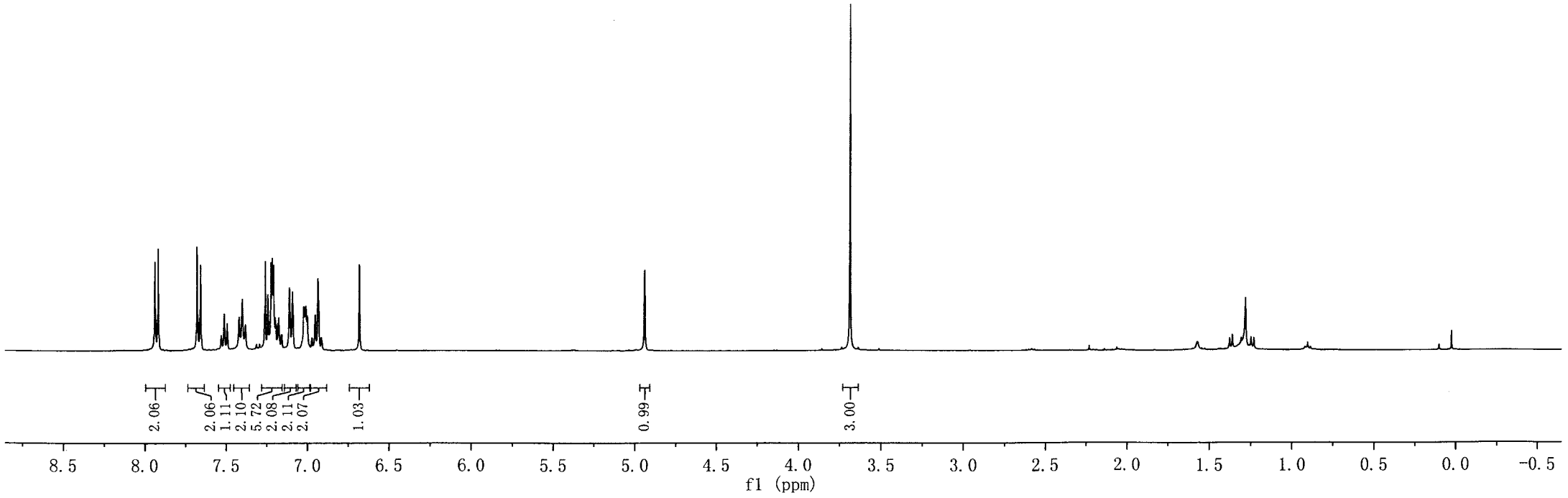

Ms
N
O
Ph
Ph
N

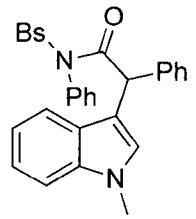
3r


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

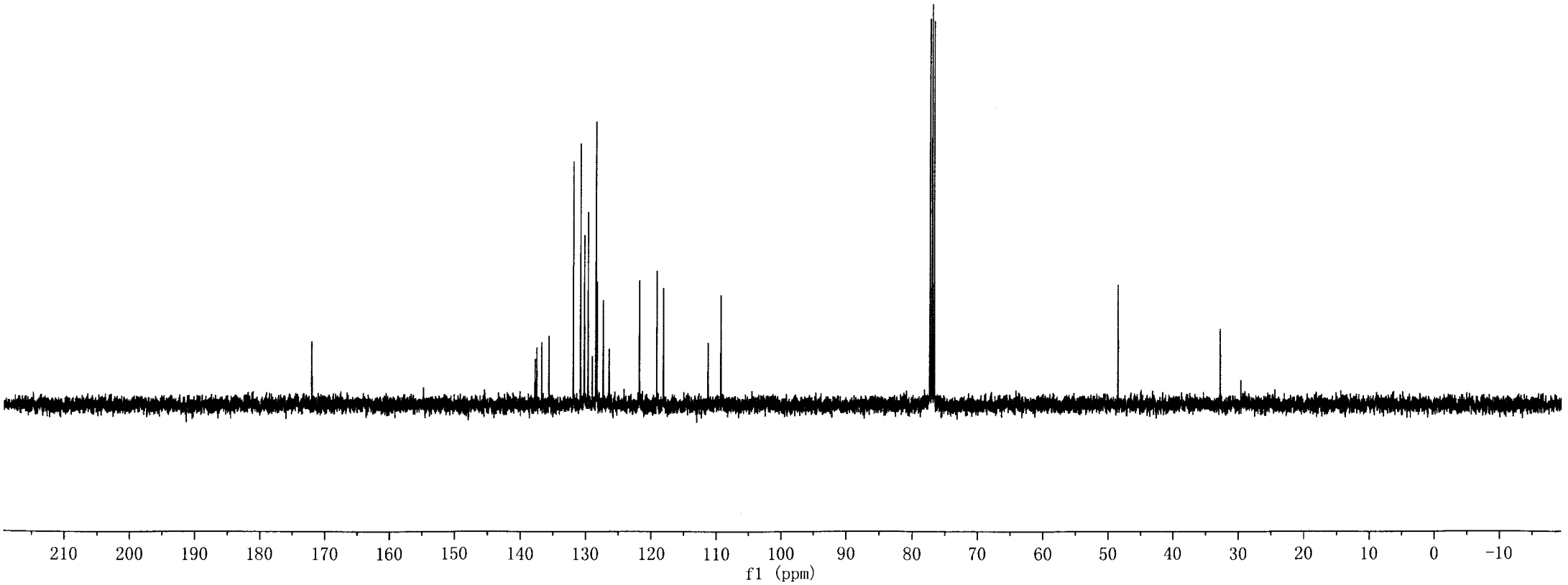

Bs
N
O
Ph
Ph
N

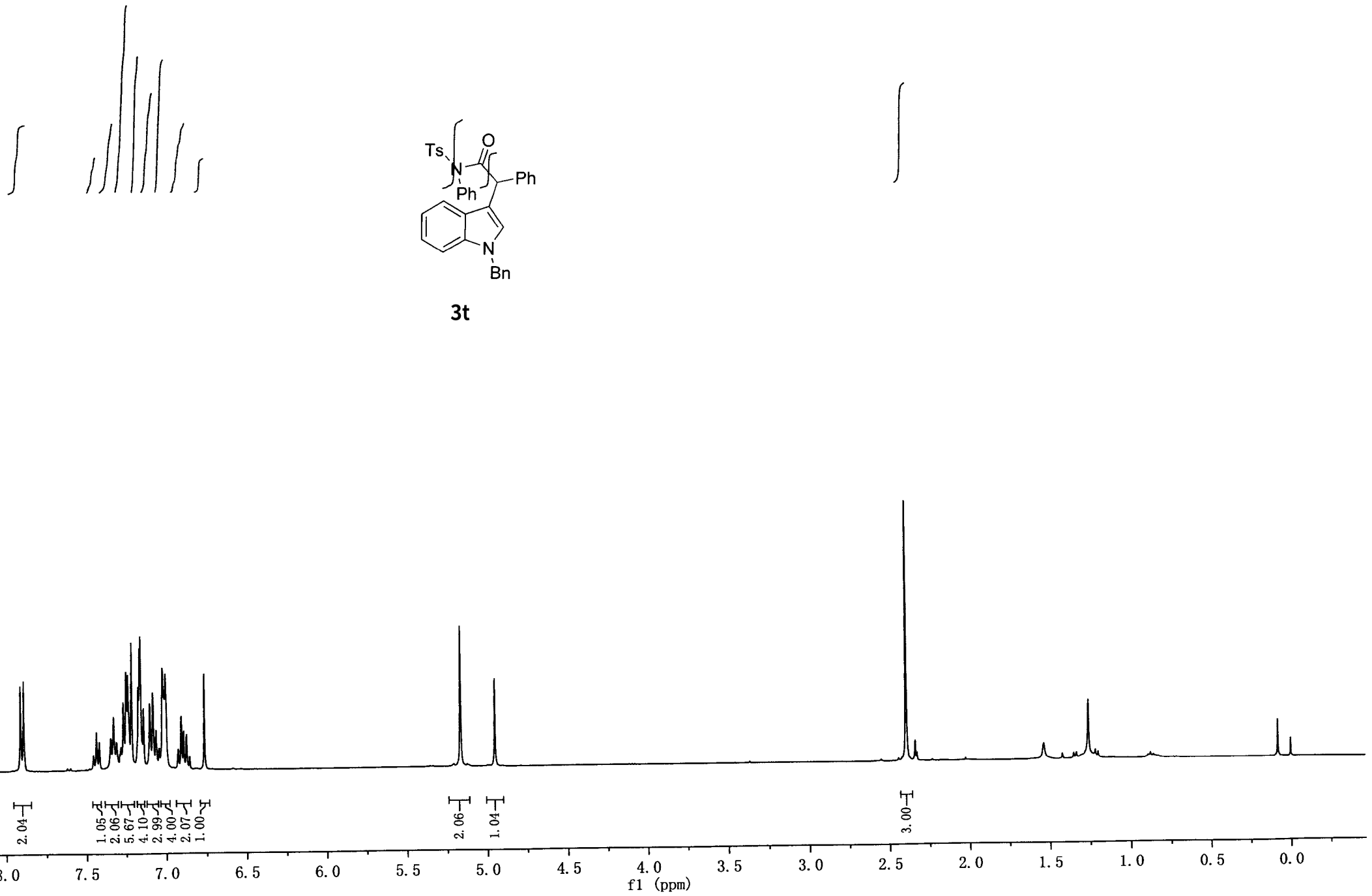
3s


2.06
2.06
1.11
2.10
5.72
2.08
2.11
2.07
1.03
0.99
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

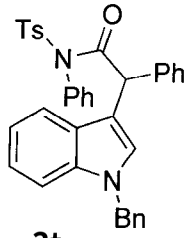

Bs
N
O
Ph
Ph
N

3s

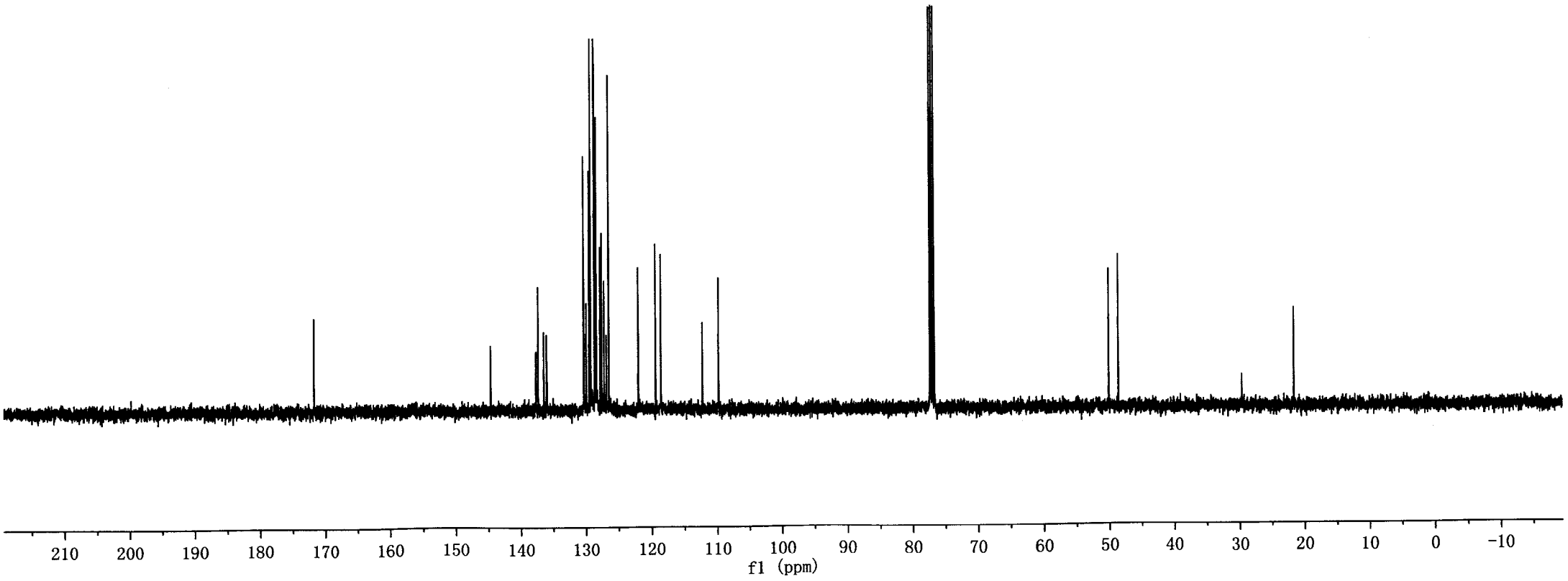

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

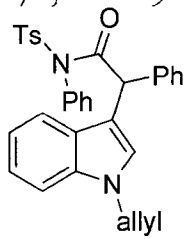

Ts
N
O
Ph
Ph
N
Bn
2.04
1.05
2.06
5.67
4.10
2.99
4.00
2.07
1.00
2.06
1.04
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

3t

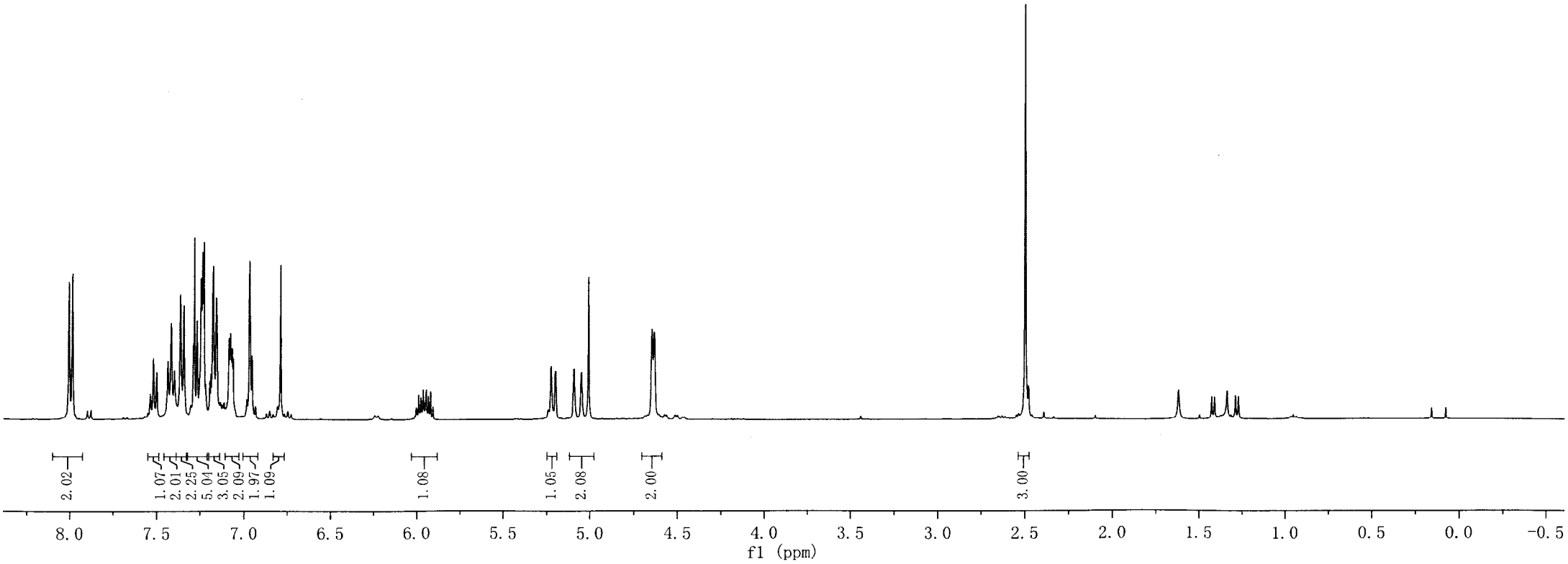

Ts
N
O
Ph
Ph
N
Bn

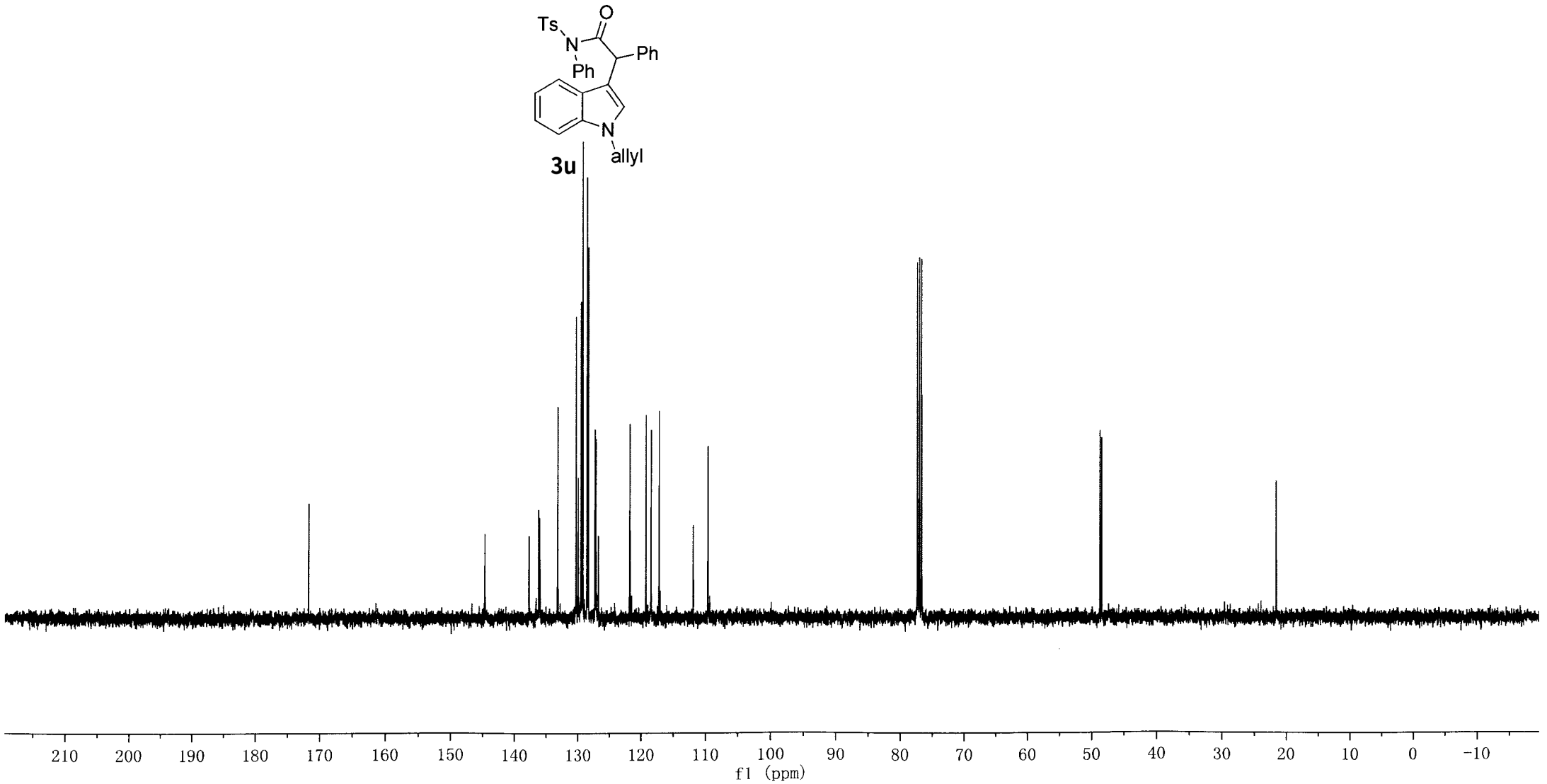
3t

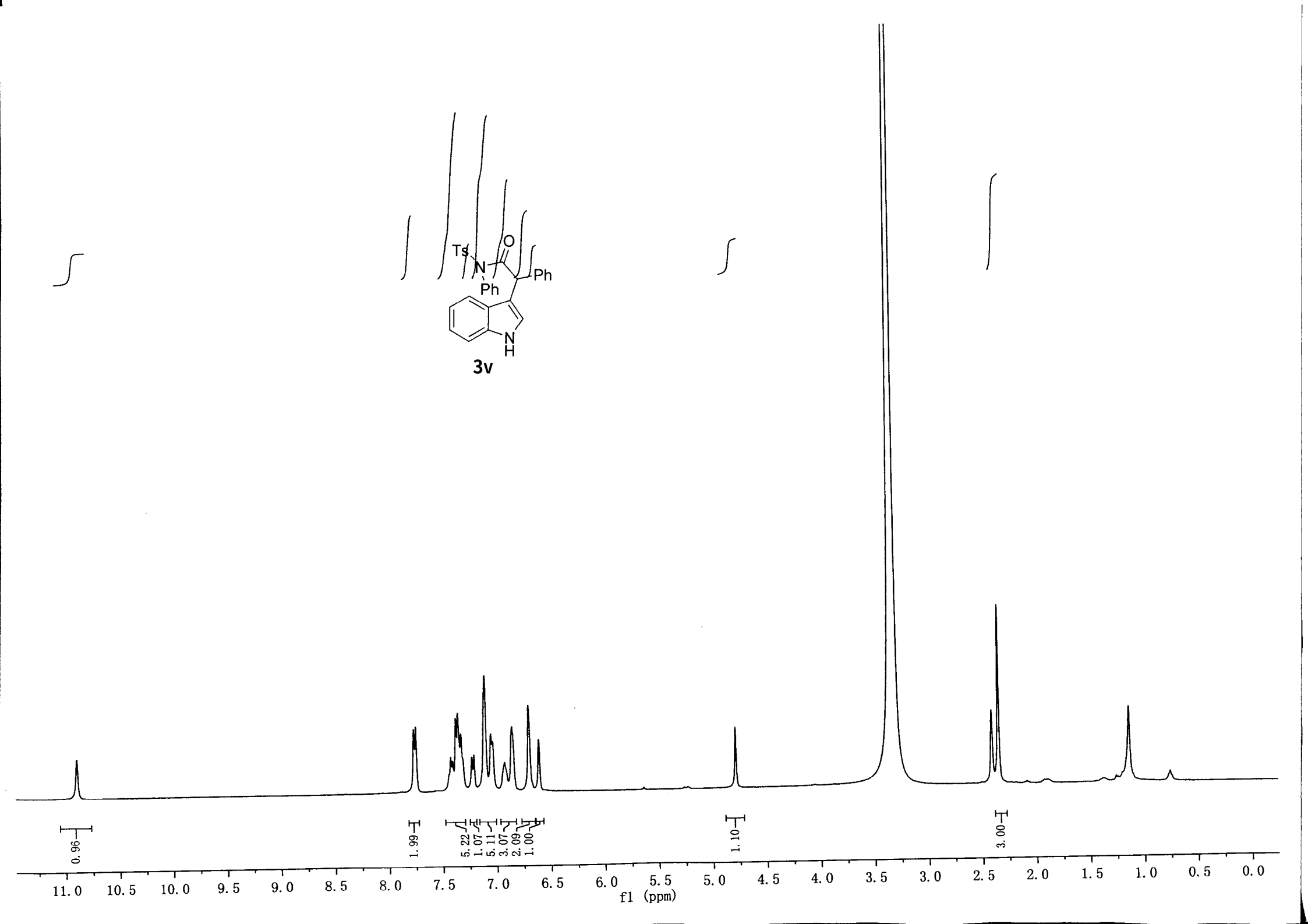

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
O
Ph
Ph
N
allyl

3u

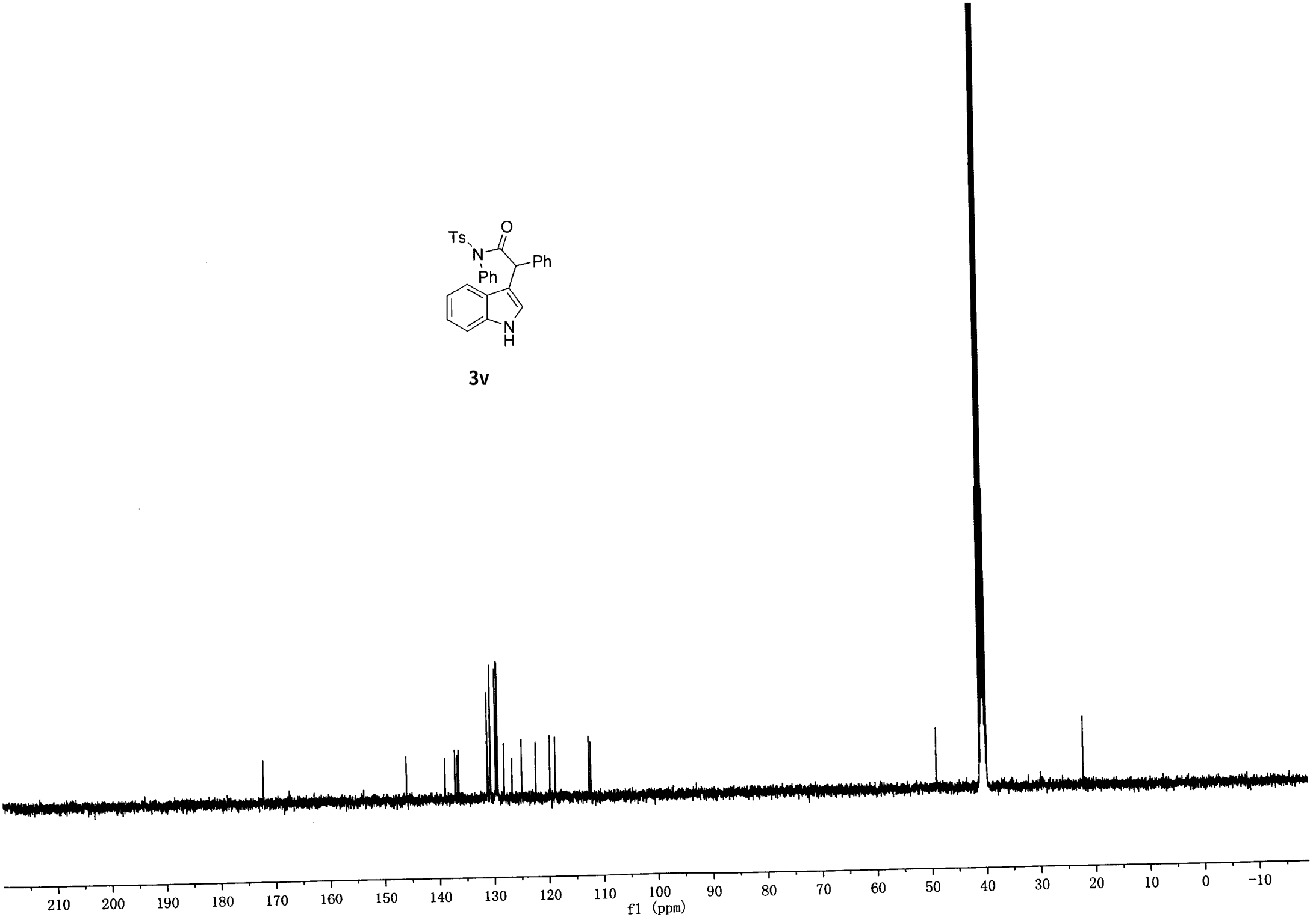

2.02
1.07
2.01
2.25
5.04
3.05
2.09
1.97
1.09
1.08
1.05
2.08
2.00
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

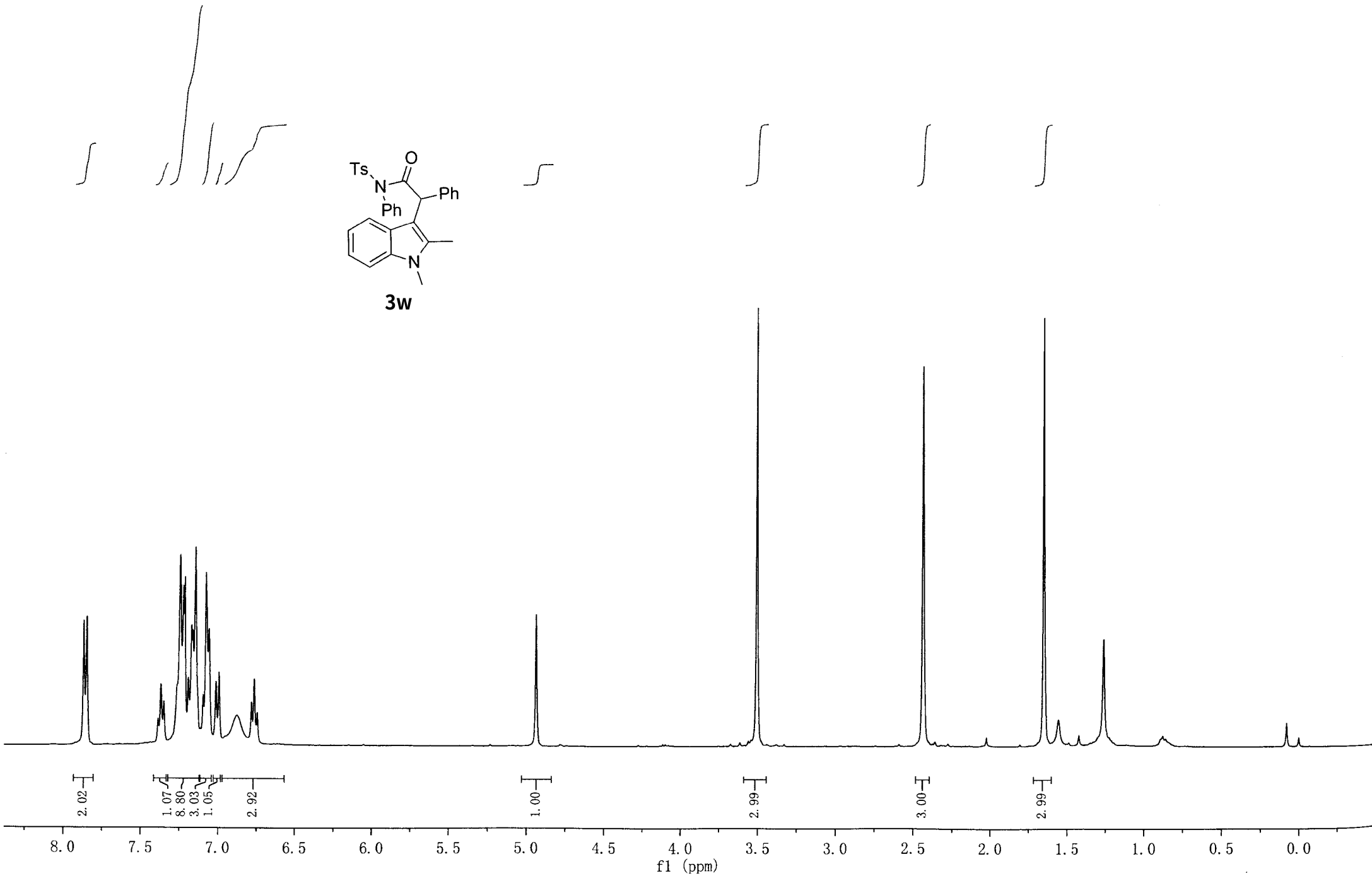

Ts
N
O
Ph
Ph
N
allyl
3u
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

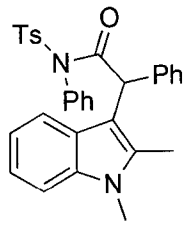

Ts
N
Ph
O
Ph
N
H
3v
0.96
1.99
5.22
1.07
5.11
3.07
2.09
1.00
1.10
3.00
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

Ts
N
O
Ph
Ph
N
H

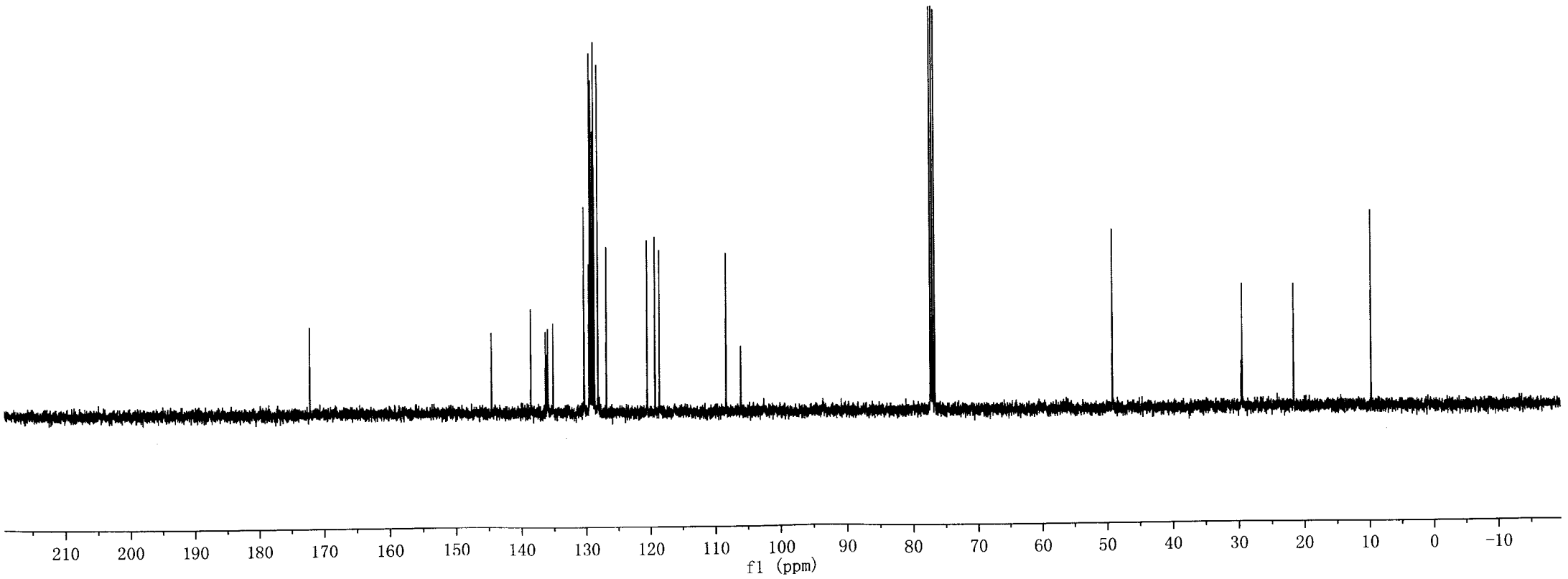
3v

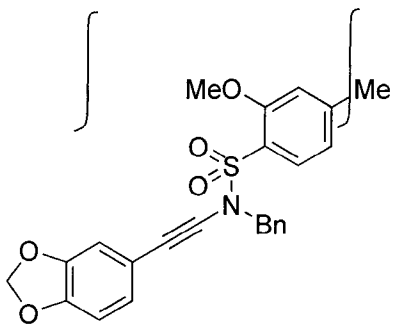

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
Ph
Ph
N
3w
2.02
1.07
8.80
3.03
1.05
2.92
1.00
2.99
3.00
2.99
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

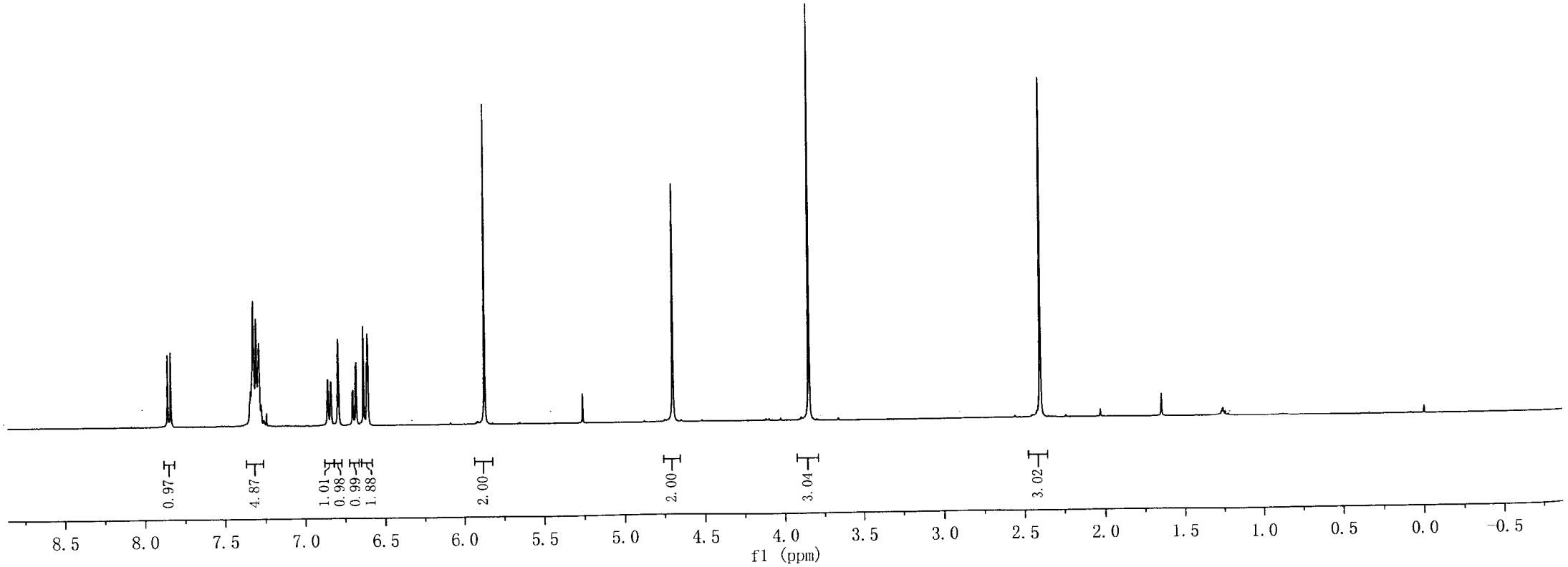

Ts
N
O
Ph
Ph
N

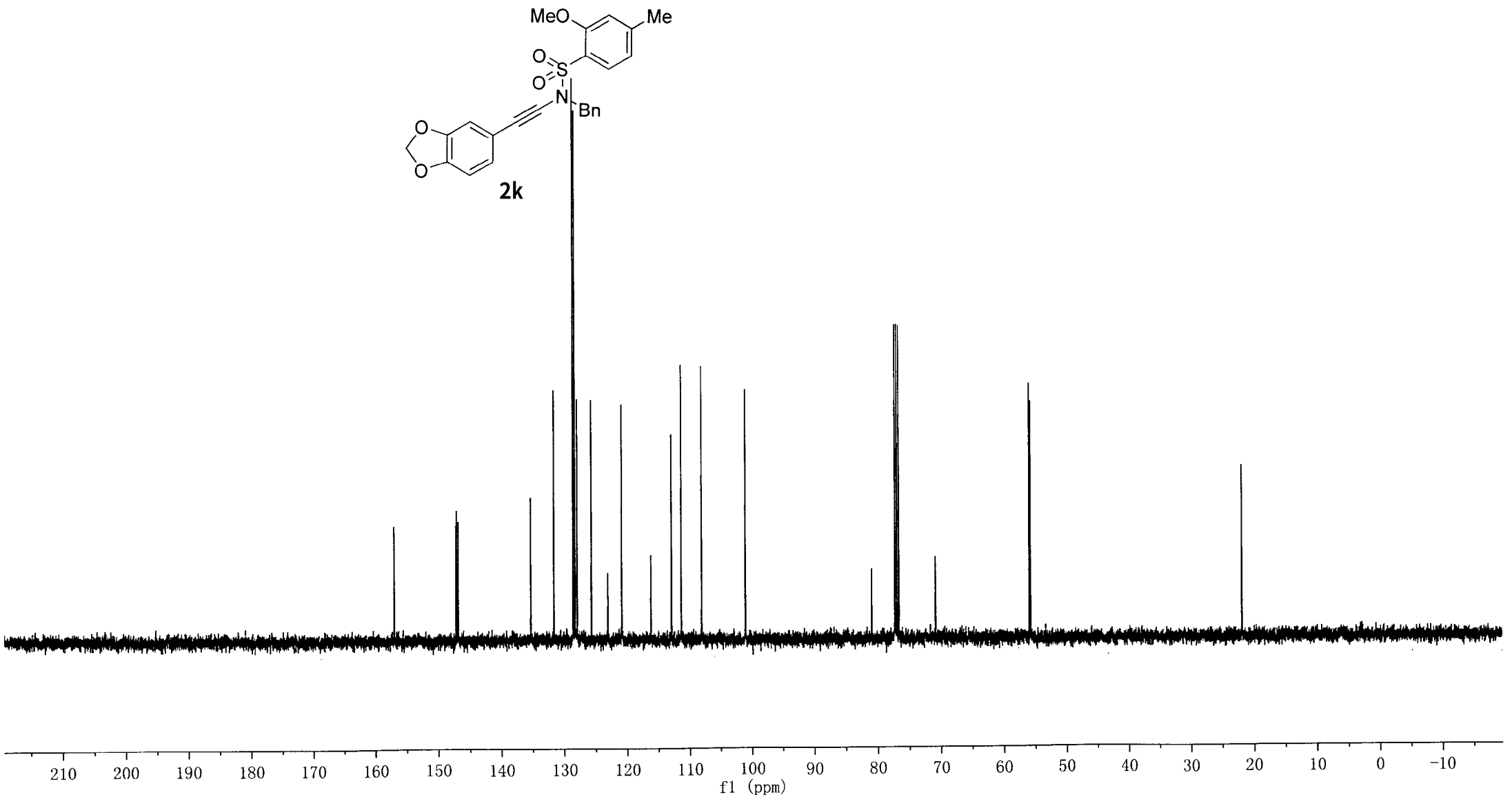
3w

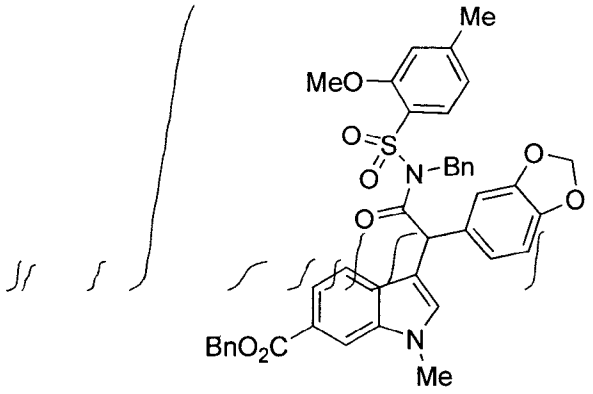

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


MeO
Me
O
S
O
N
Bn
O
O
O

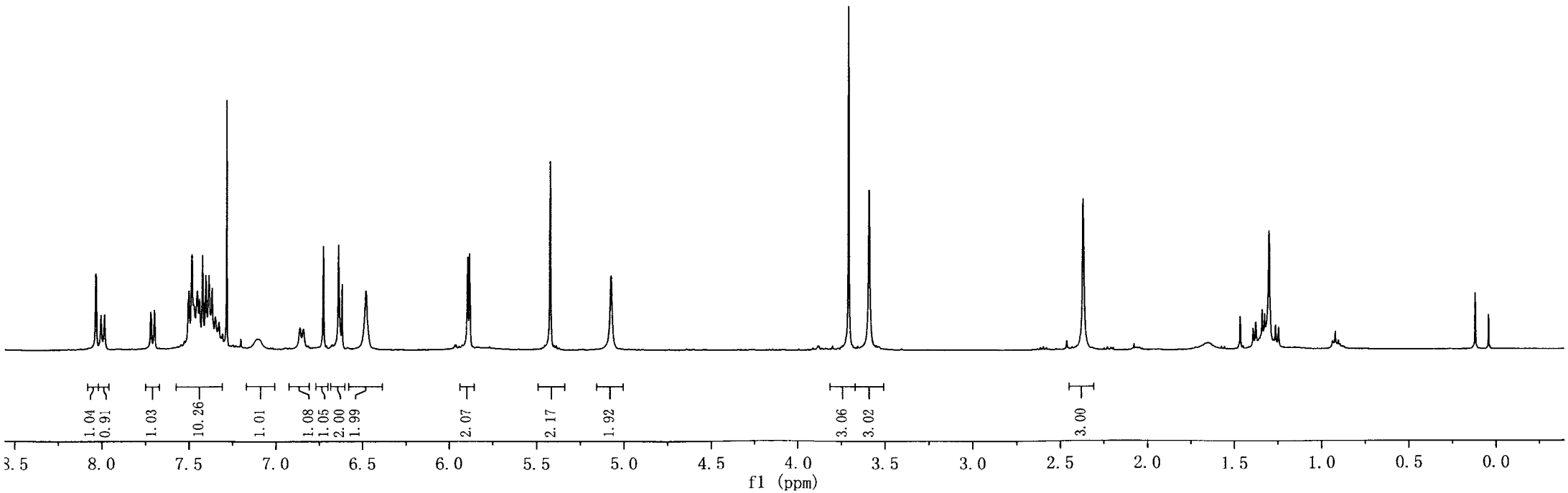
2k

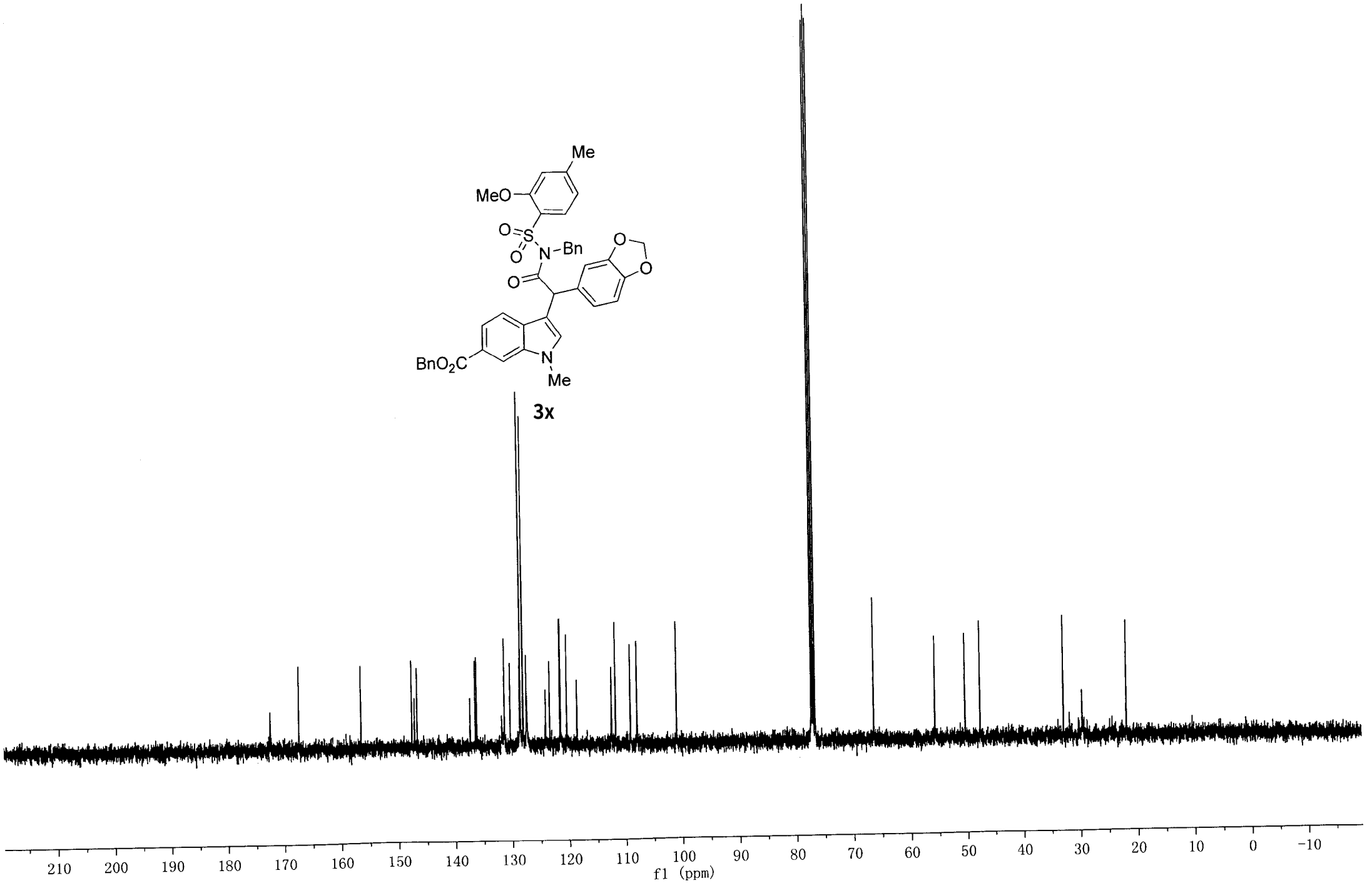

0.97
4.87
1.01
0.98
0.99
1.88
2.00
2.00
3.04
3.02
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
−0.5
f1 (ppm)

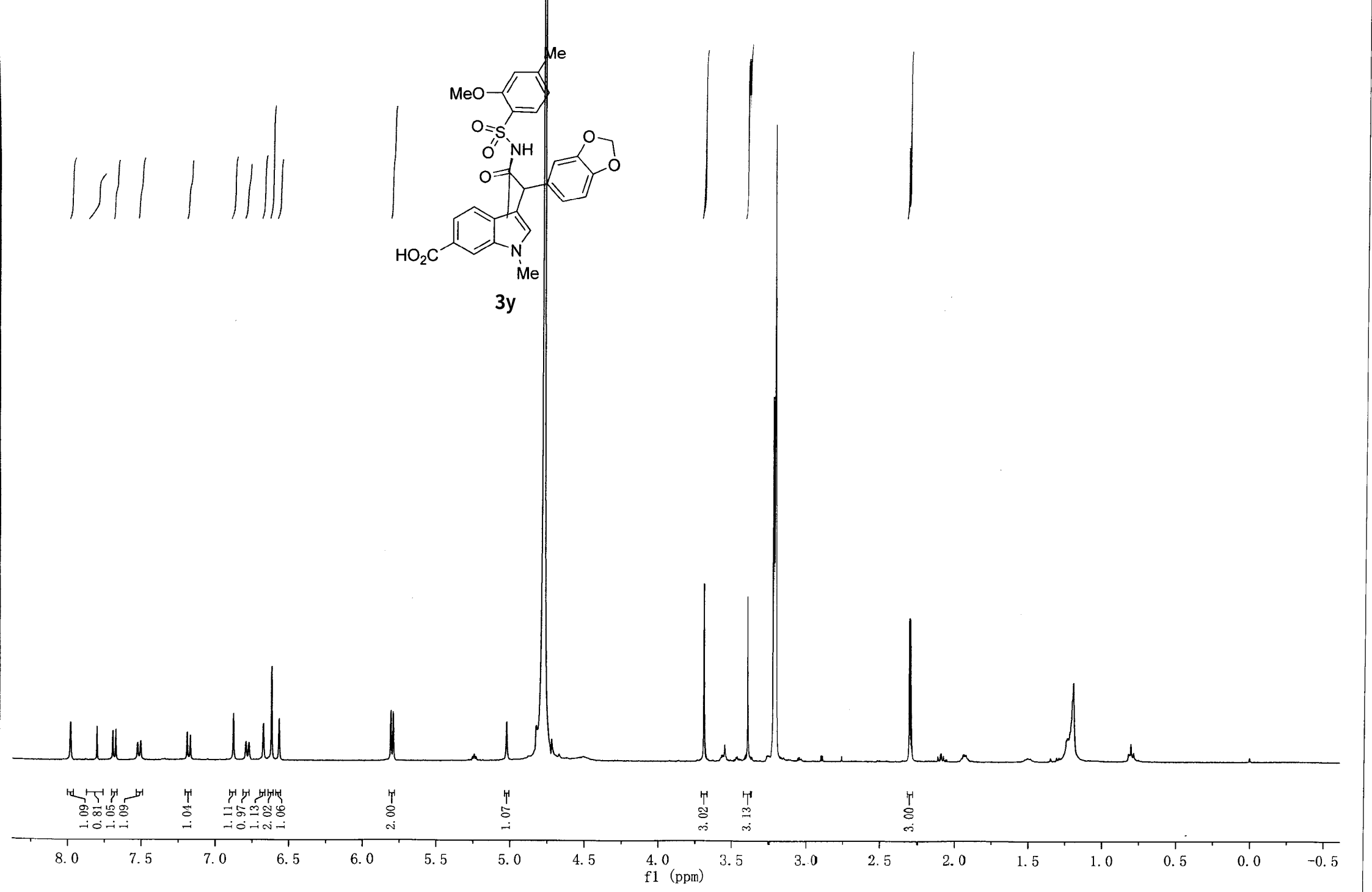

MeO
Me
O
S
O
N
Bn
O
O
2k
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Me
MeO
O
S
O
N-Bn
O
O
O
O
BnO2C
N
Me

3x

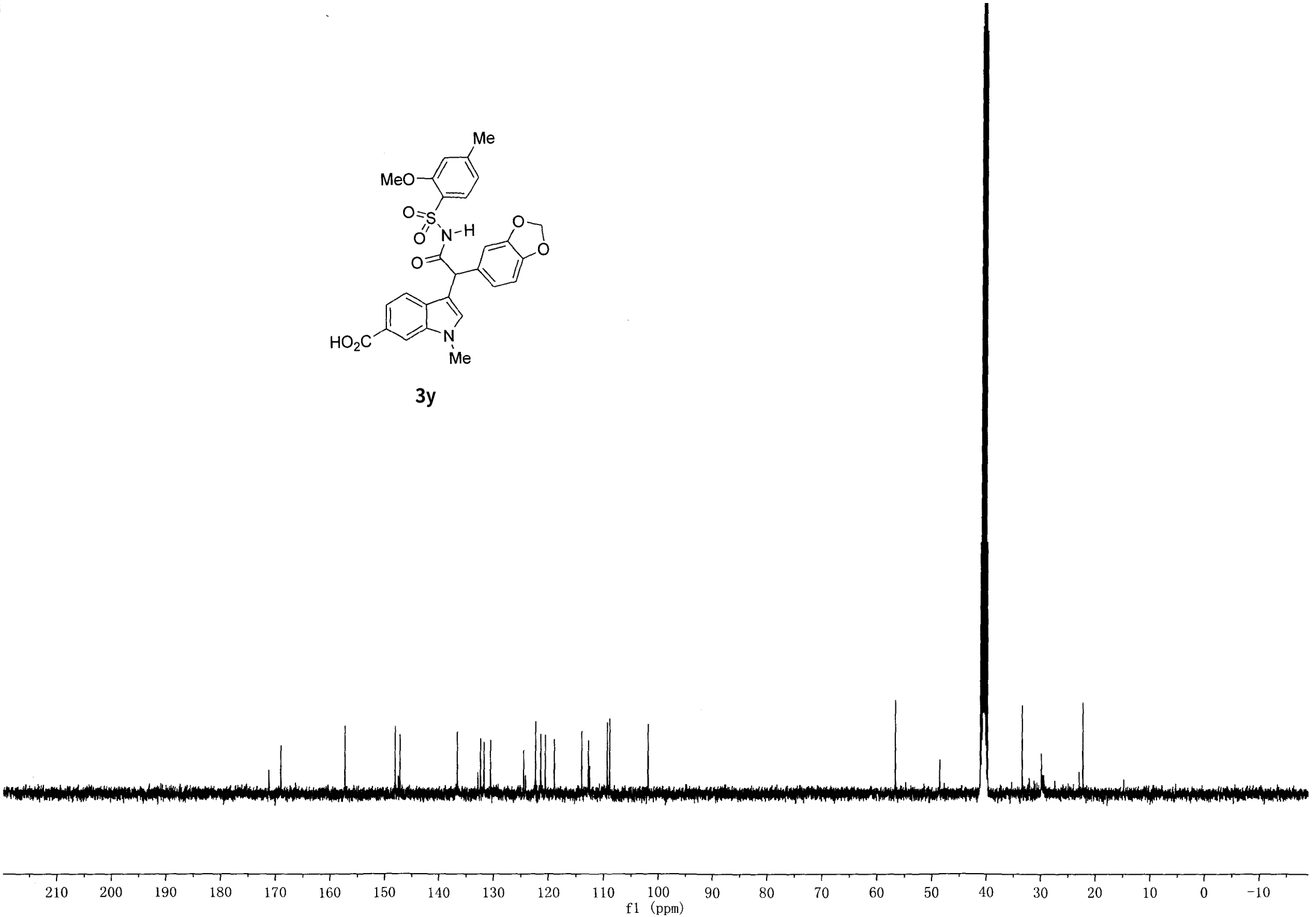

1.04
0.91
1.03
10.26
1.01
1.08
1.05
2.00
1.99
2.07
2.17
1.92
3.06
3.02
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

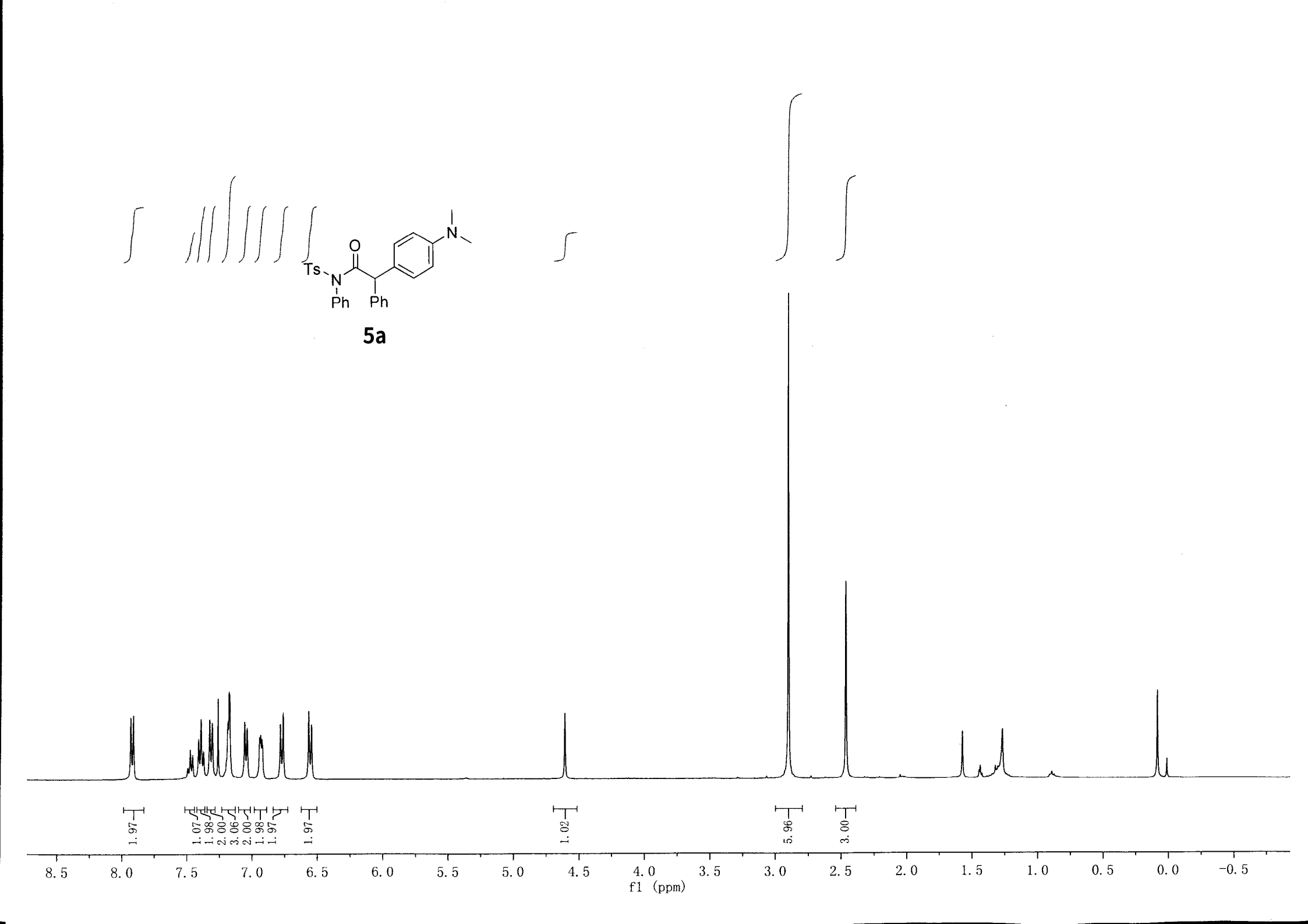

Me
MeO
O
S
O
N
Bn
O
O
O
O
N
Me
BnO2C
3x
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Me
MeO
O
S
O
NH
O
O
O
HO2C
N
Me
3y
1.09
0.81
1.05
1.09
1.04
1.11
0.97
1.13
2.02
1.06
2.00
1.07
3.02
3.13
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

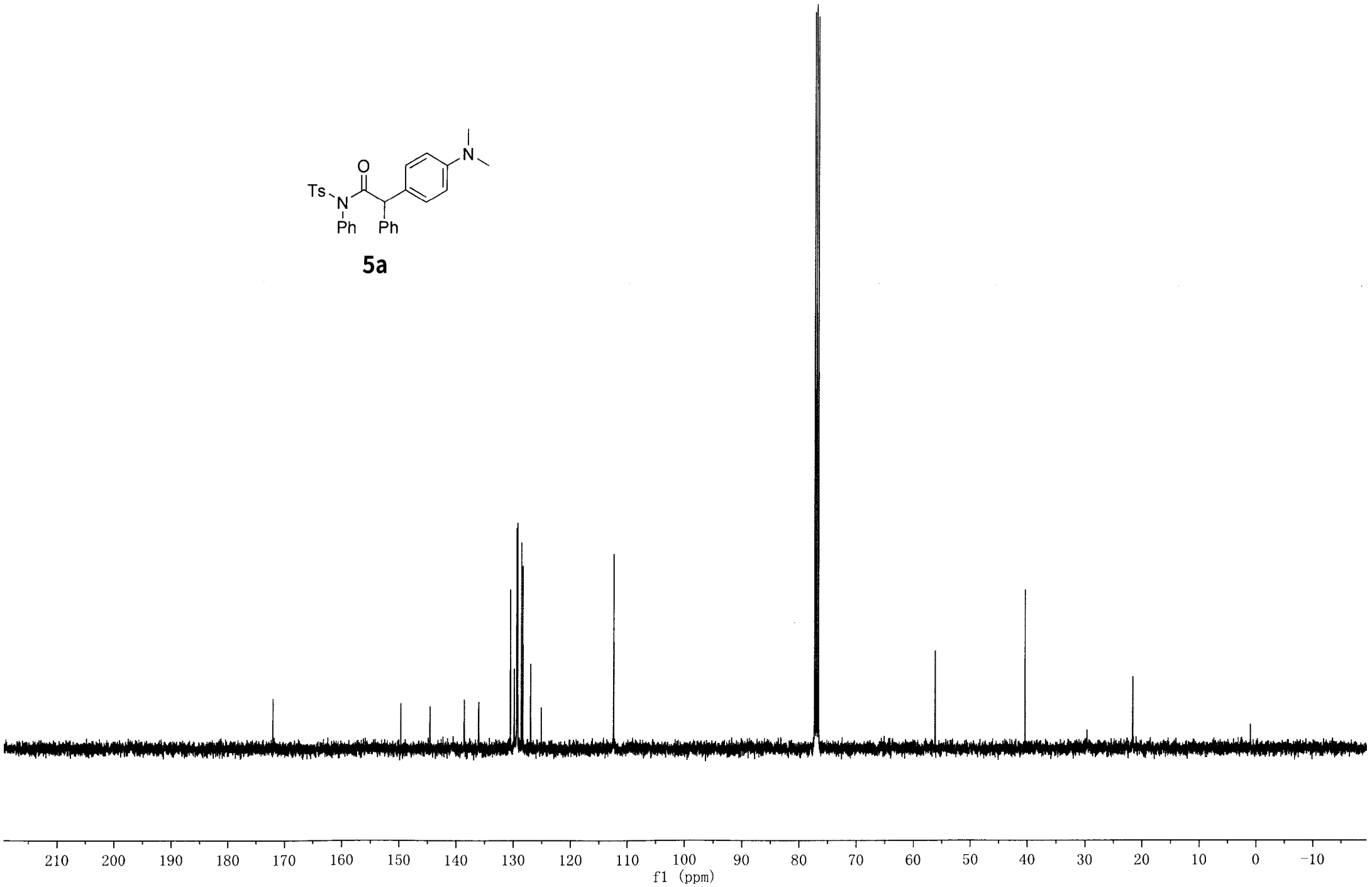
Me
MeO
O
S
O
N-H
O
O
O
HO2C
N
Me

3y

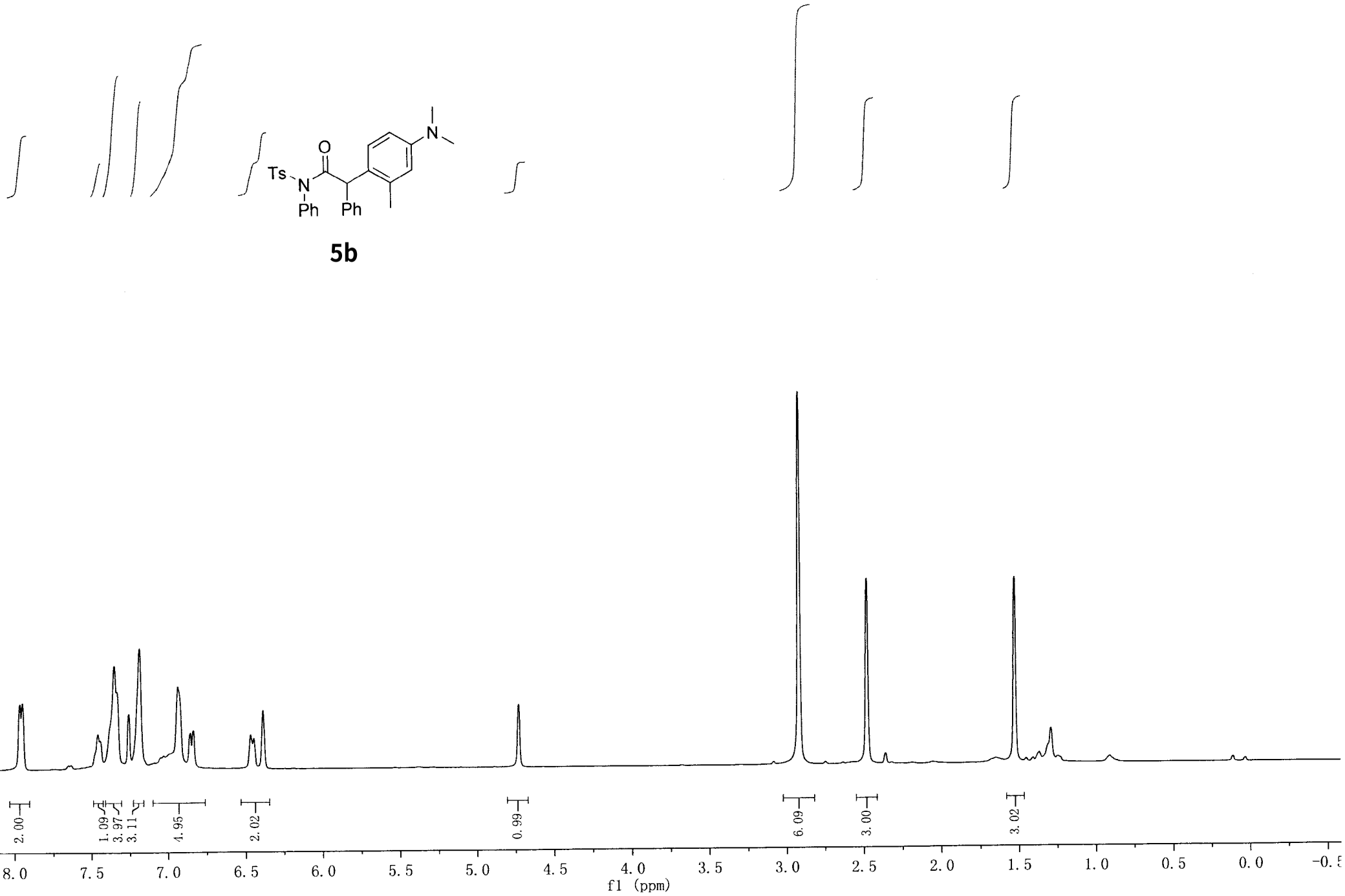

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
Ph
Ph
O
N
1.97
1.07
1.98
2.00
3.06
2.00
1.98
1.97
1.97
1.02
5.96
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

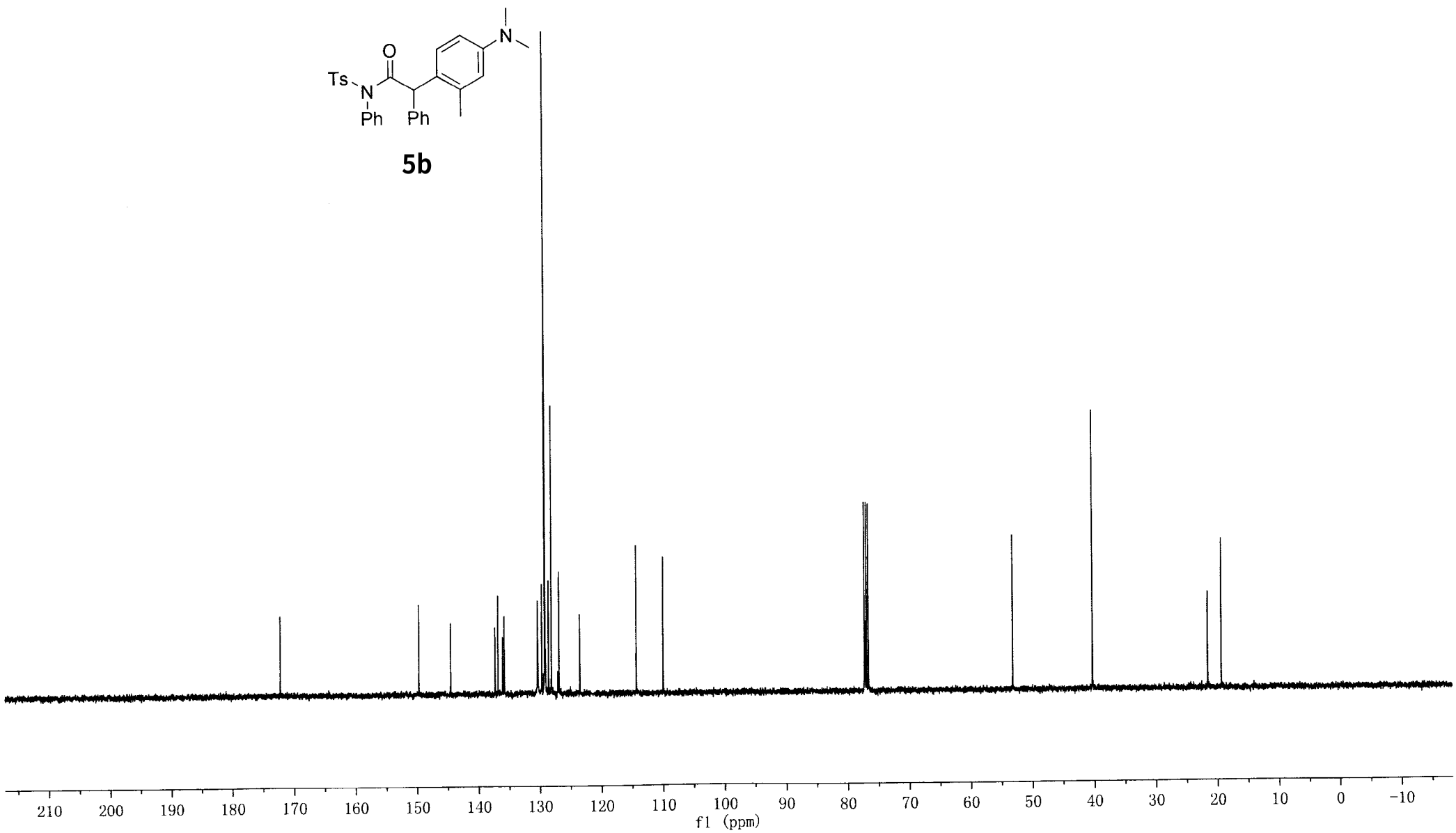
5a


Ts
N
Ph
Ph
O
N
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

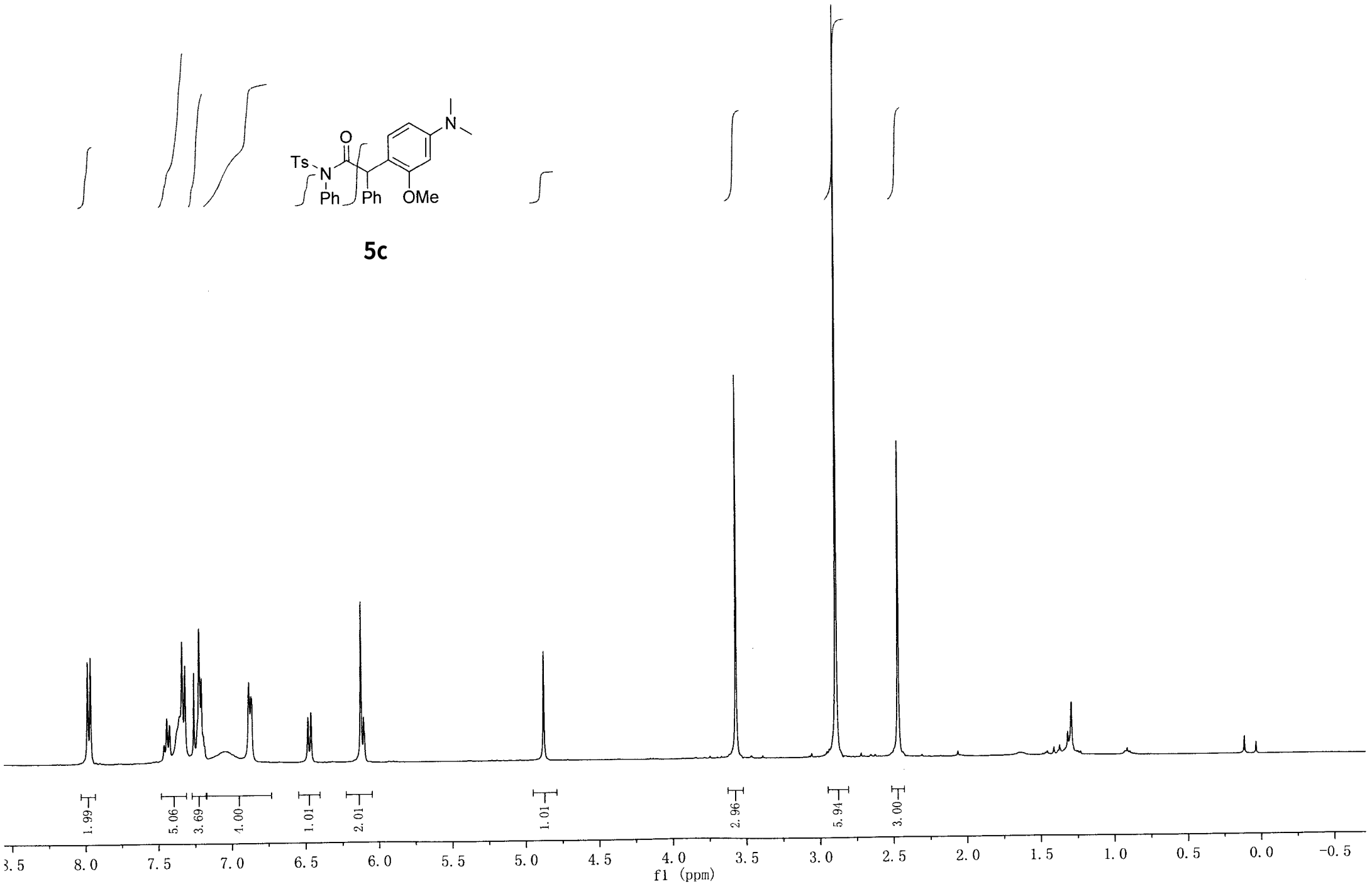
5a


Ts
N
Ph
O
Ph
N
2.00
1.09
3.97
3.11
4.95
2.02
0.99
6.09
3.00
3.02
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

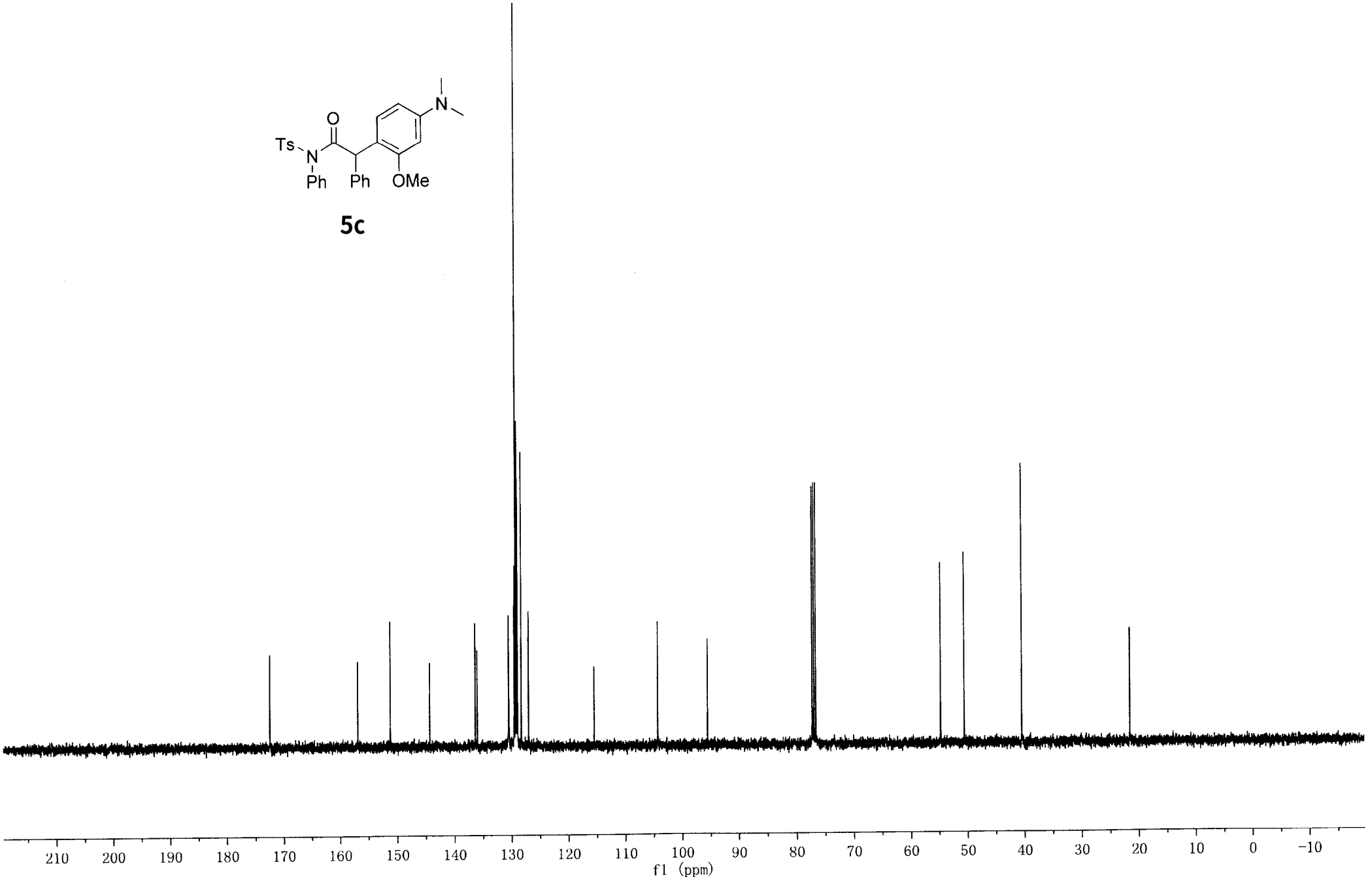
5b

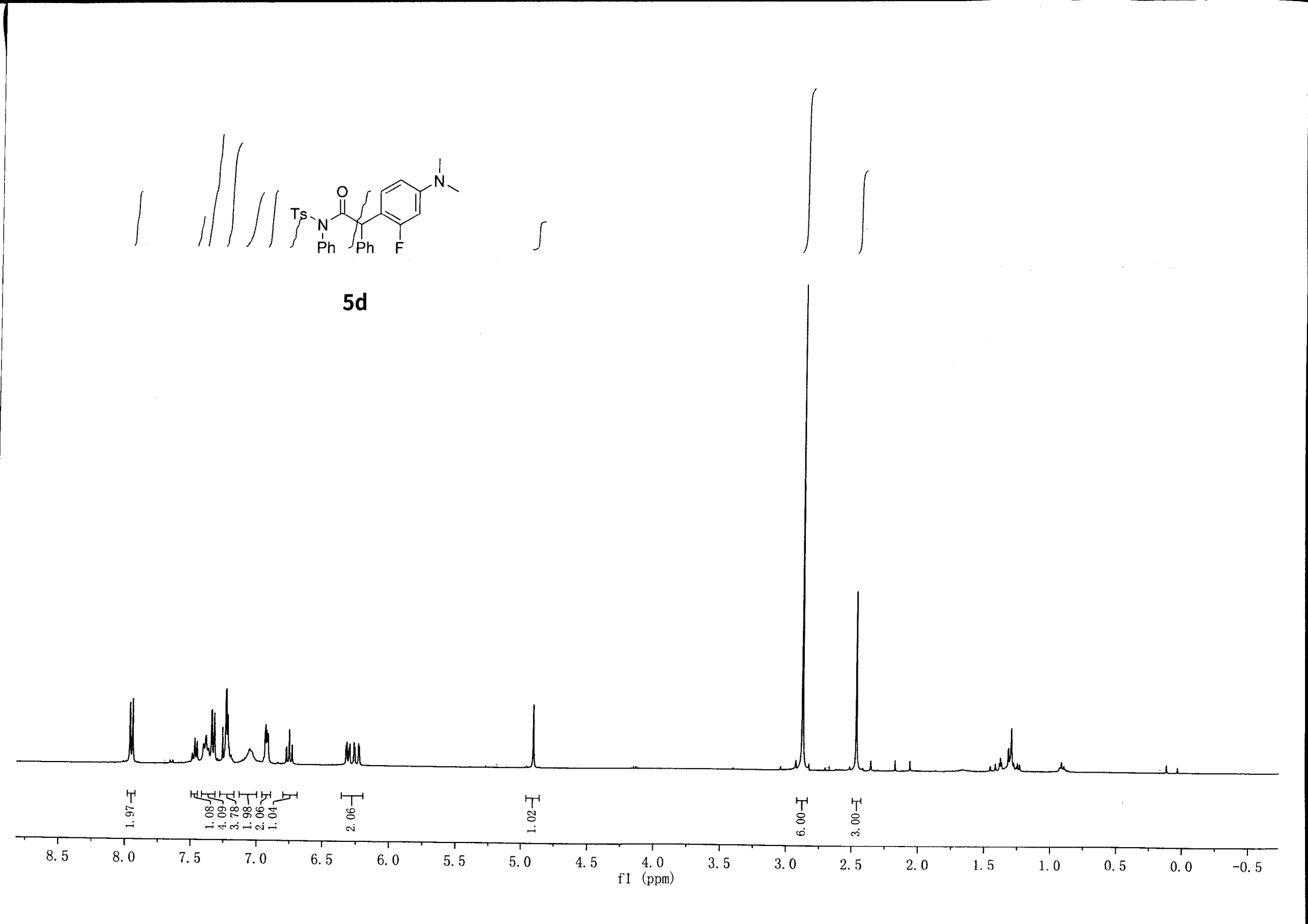

Ts
N
Ph
Ph
O
N
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

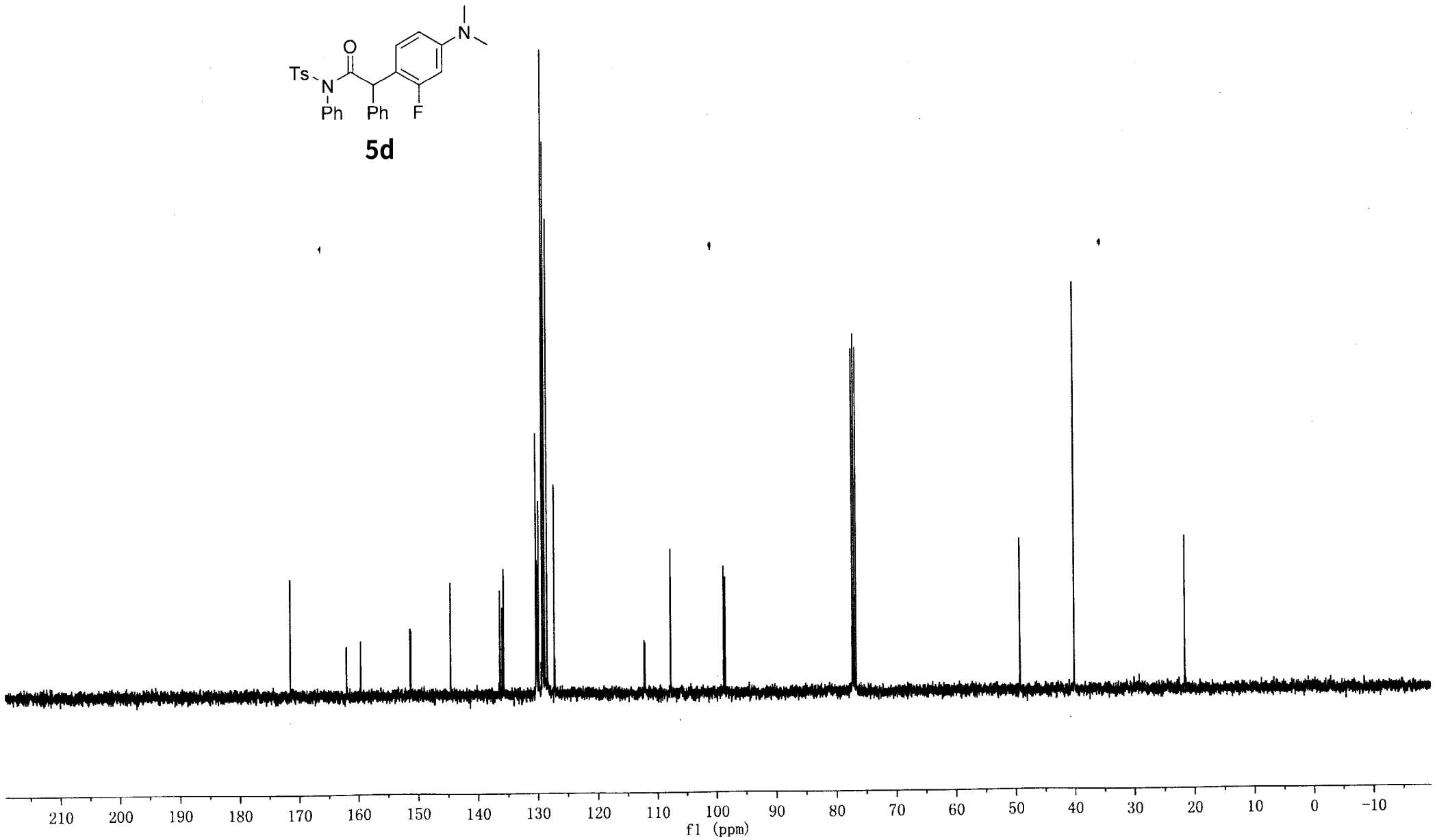
5b

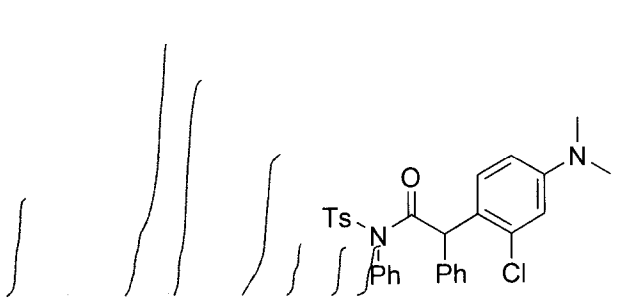

Ts
N
Ph
O
Ph
OMe
N
1.99
5.06
3.69
4.00
1.01
2.01
1.01
2.96
5.94
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

5c

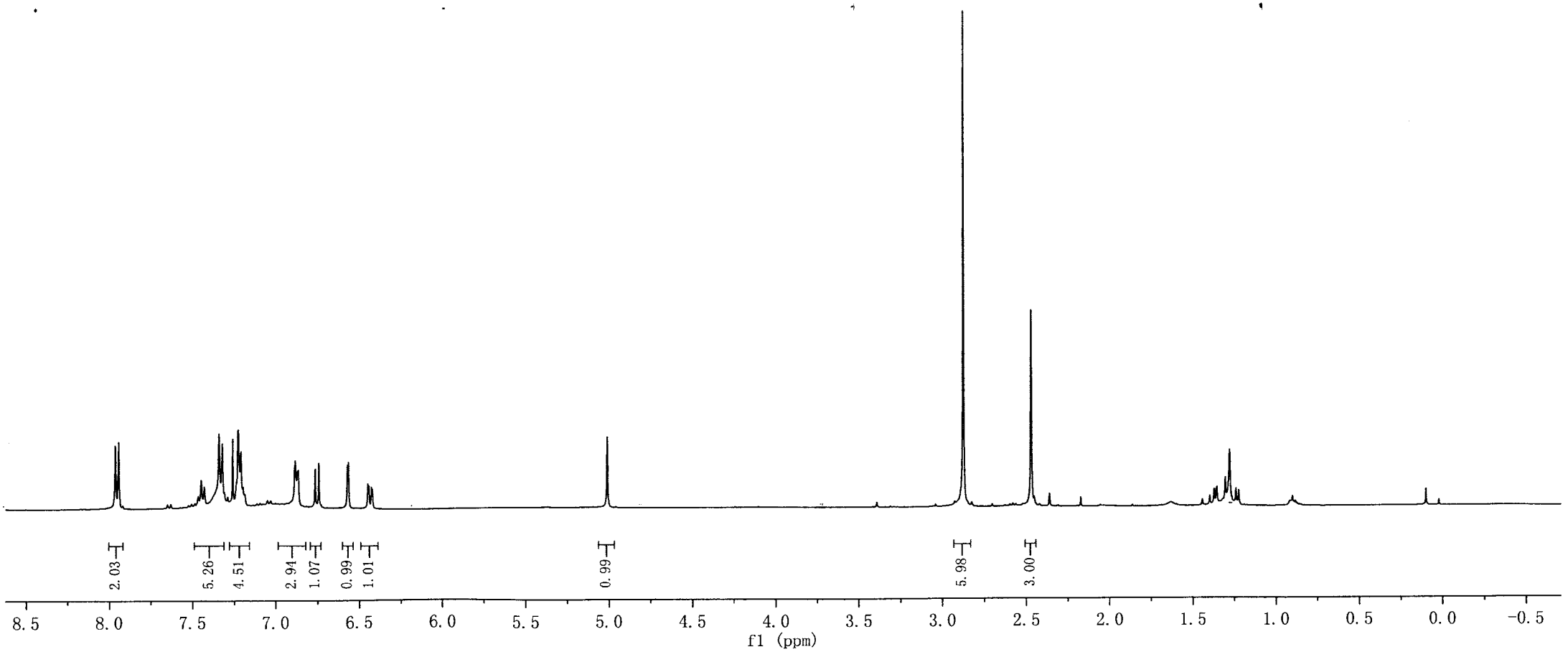

Ts
N
Ph
O
Ph
OMe
N
5c
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

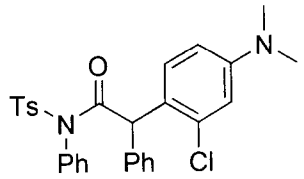

Ts
N
Ph
O
Ph
F
N
5d
1.97
1.08
4.09
3.78
1.98
2.06
1.04
2.06
1.02
6.00
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ts
N
O
Ph
Ph
F
N
5d
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

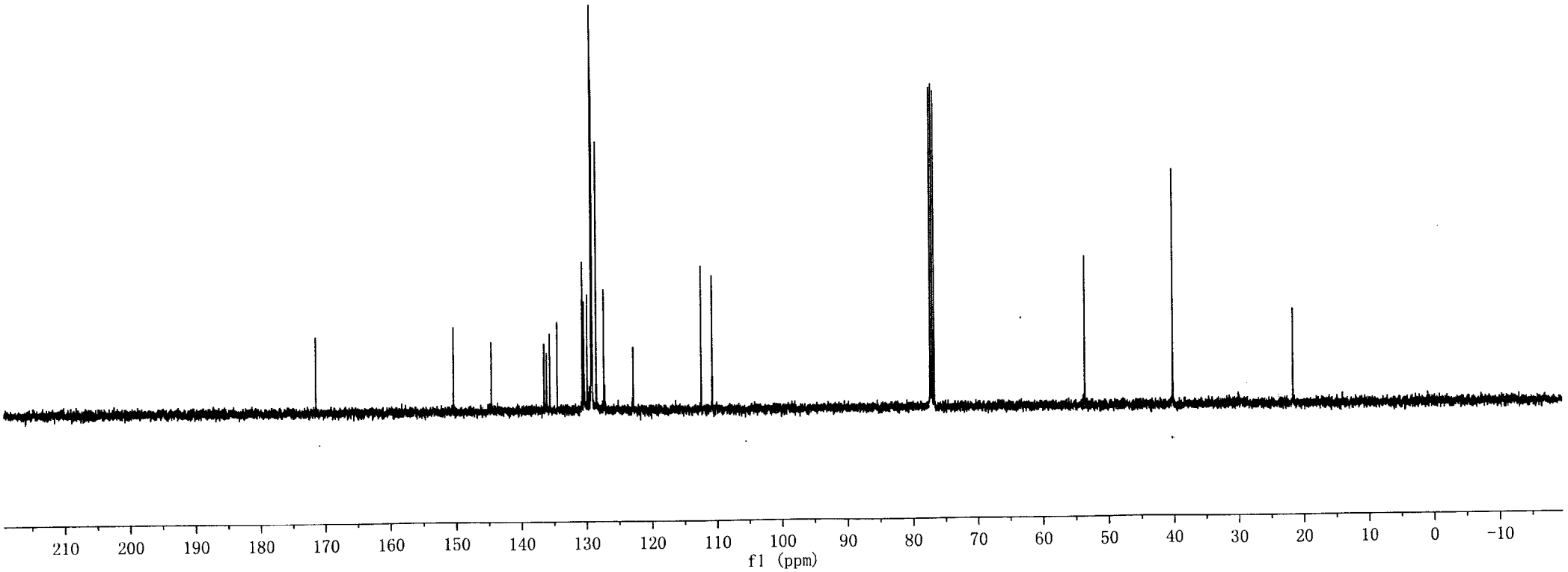

Ts
N
Ph
O
Ph
Cl
N

5e


2.03
5.26
4.51
2.94
1.07
0.99
1.01
0.99
5.98
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

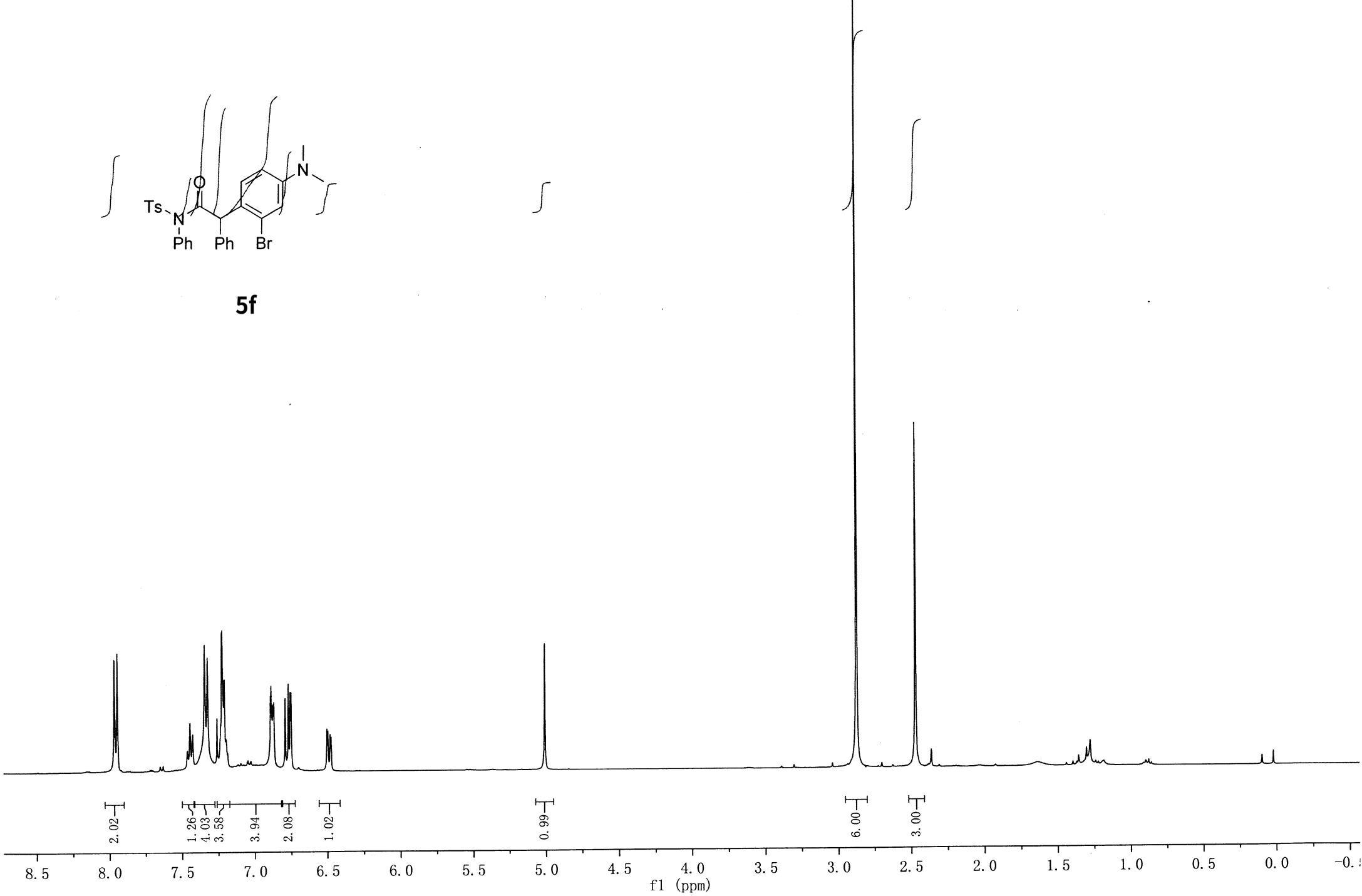

Ts
N
O
Ph
Ph
Cl
N

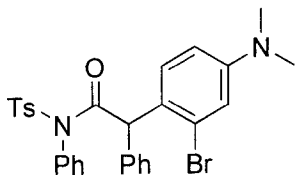
5e


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

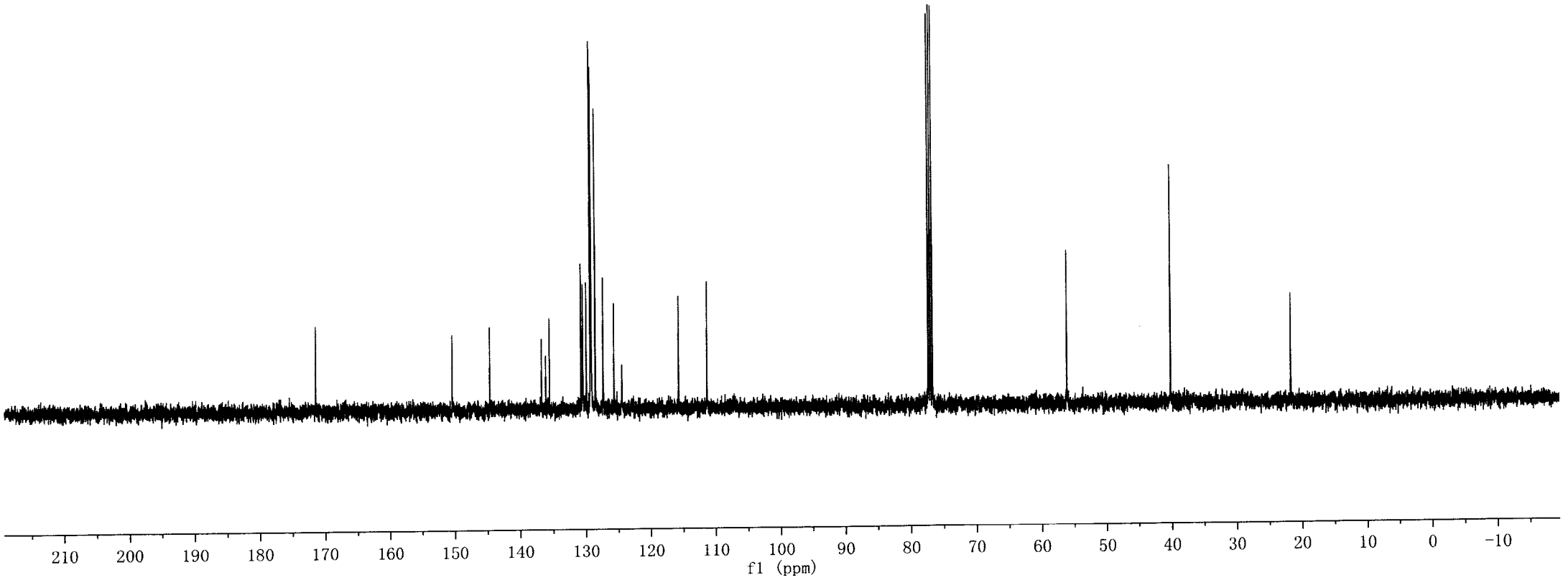
Ts
N
Ph
O
Ph
Br
N

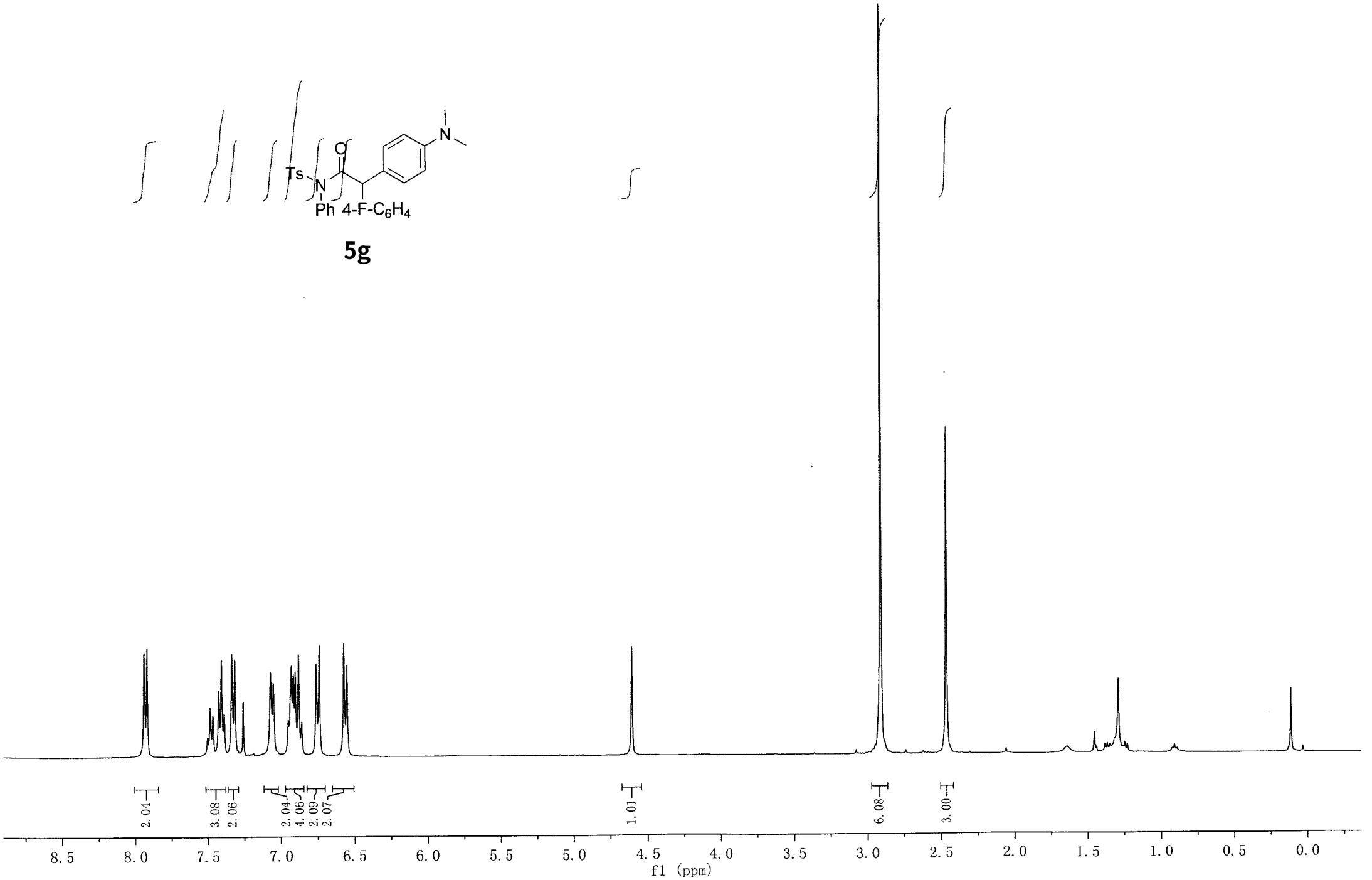
5f


2.02
1.26
4.03
3.58
3.94
2.08
1.02
0.99
6.00
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
N
Ph
O
Ph
Br
N

5f


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
O
N
Ph 4-F-C6H4
2.04
3.08
2.06
2.04
4.06
2.09
2.07
1.01
6.08
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
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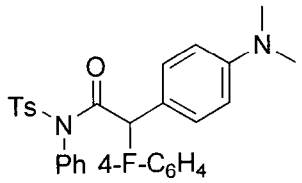
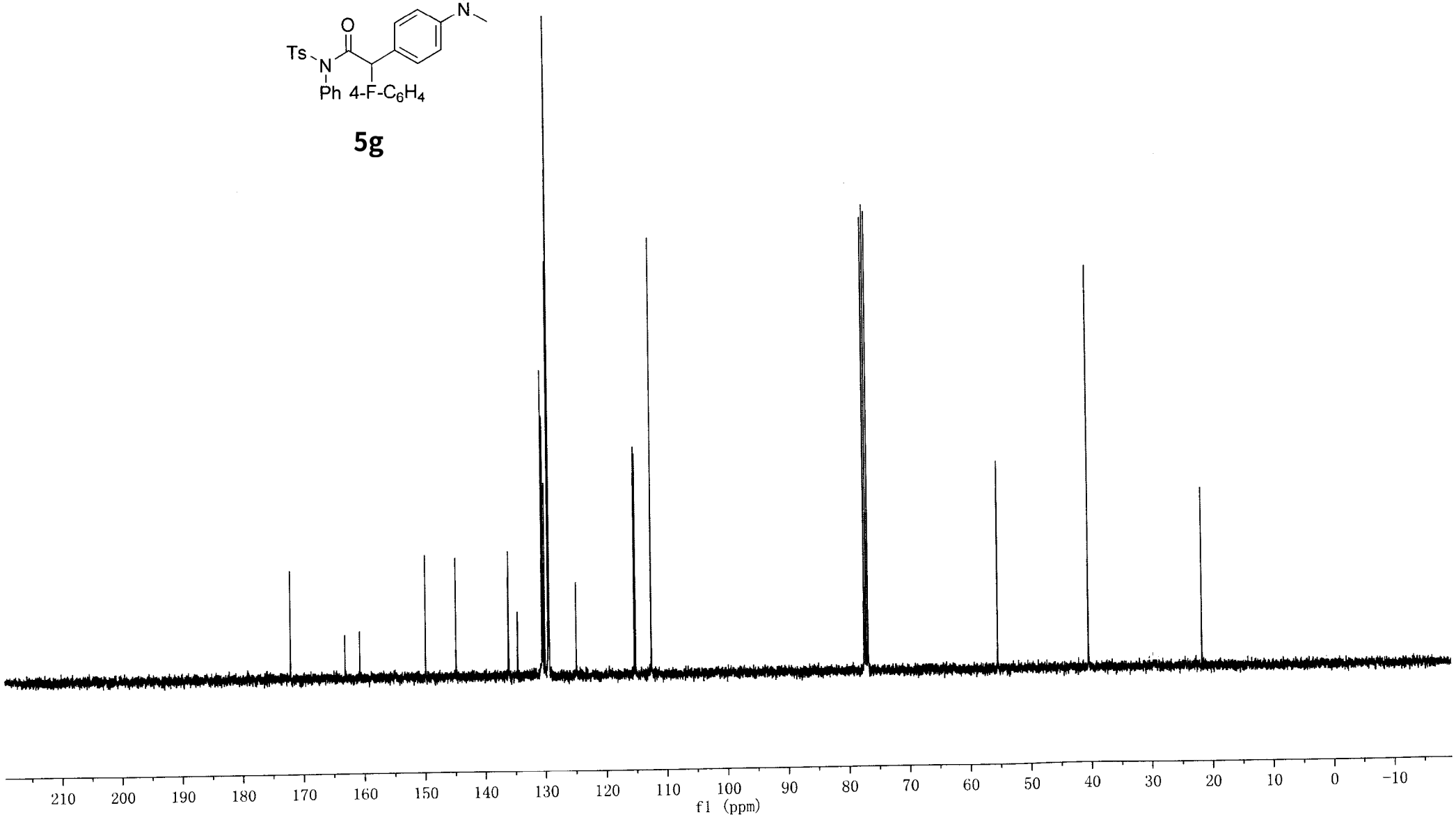
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

5g


Ts
N
O
N
Ph 4-F-C6H4

5g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200
190
180
170
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140
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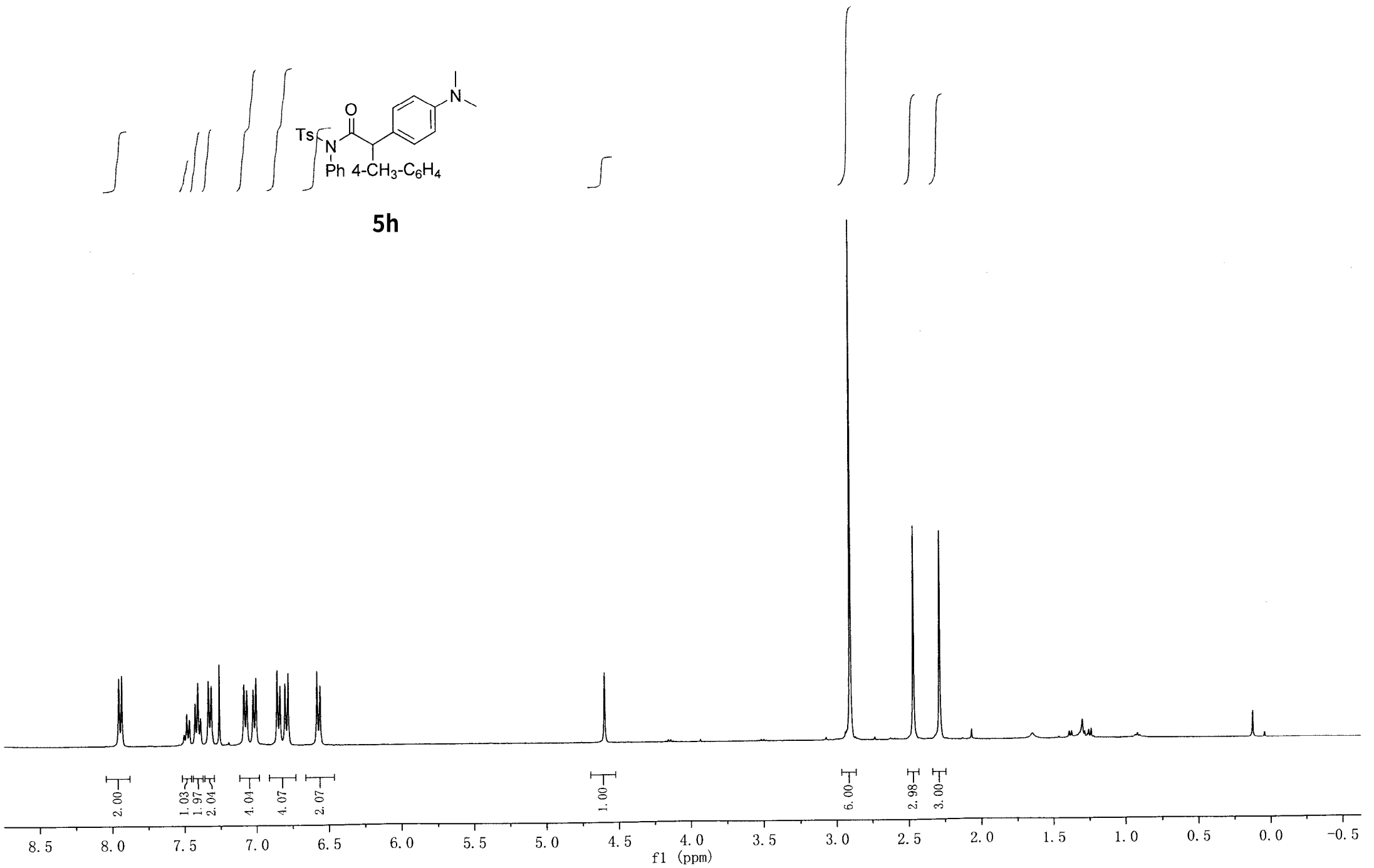
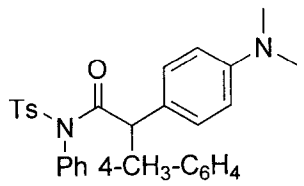
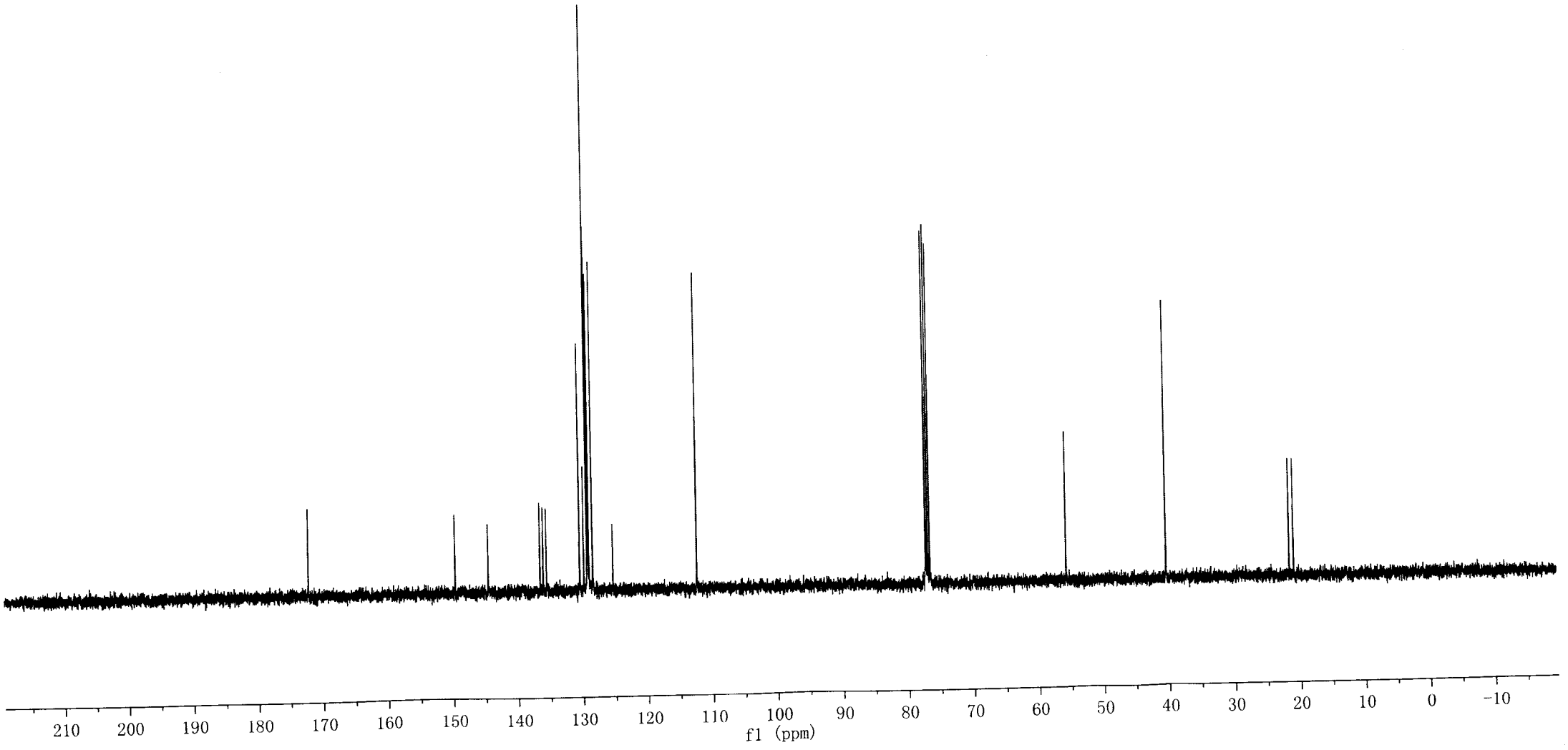
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Ts
N
O
N
Ph 4-CH3-C6H4
5h
2.00
1.03
1.97
2.04
4.04
4.07
2.07
1.00
6.00
2.98
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ts
N
O
N
Ph 4-CH3-C6H4

5h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180
170
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140
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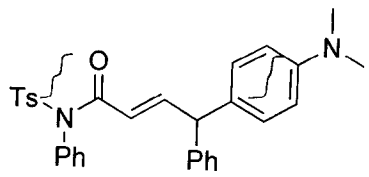
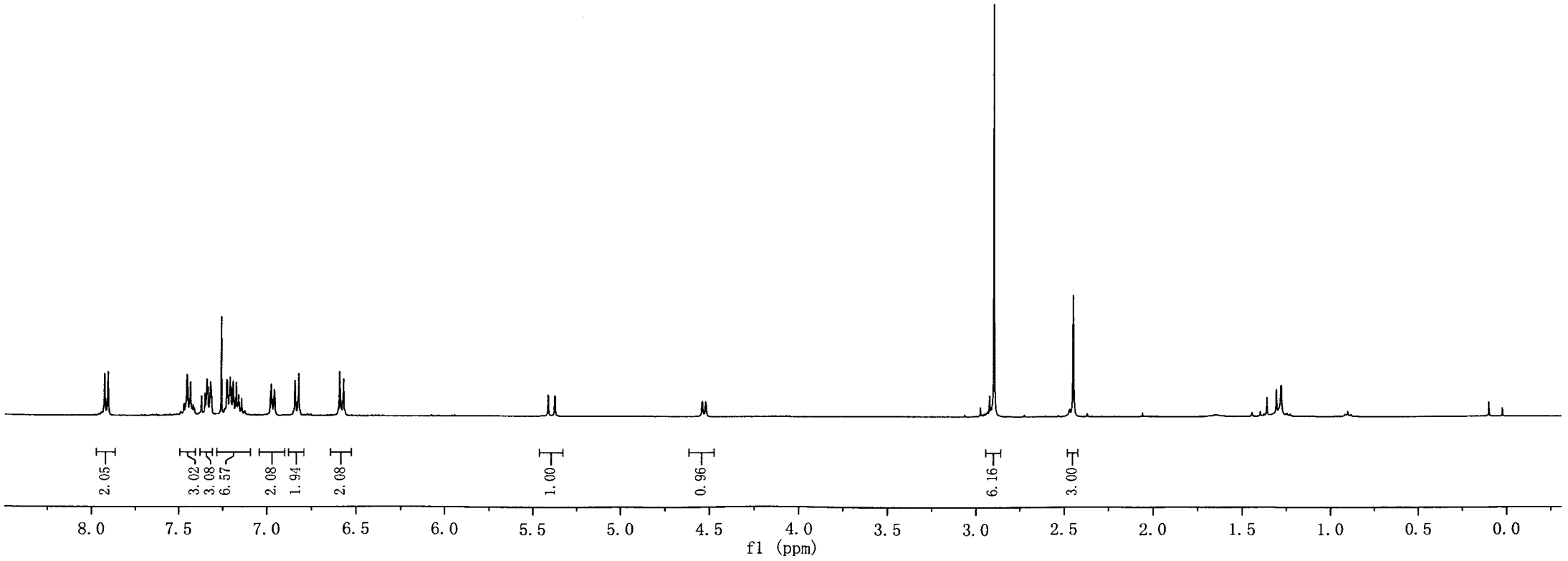
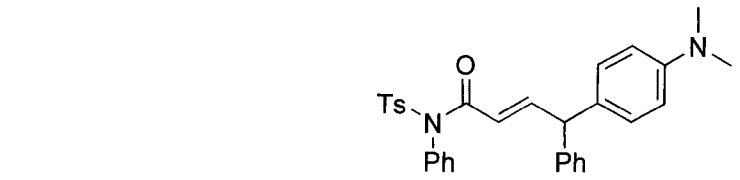
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

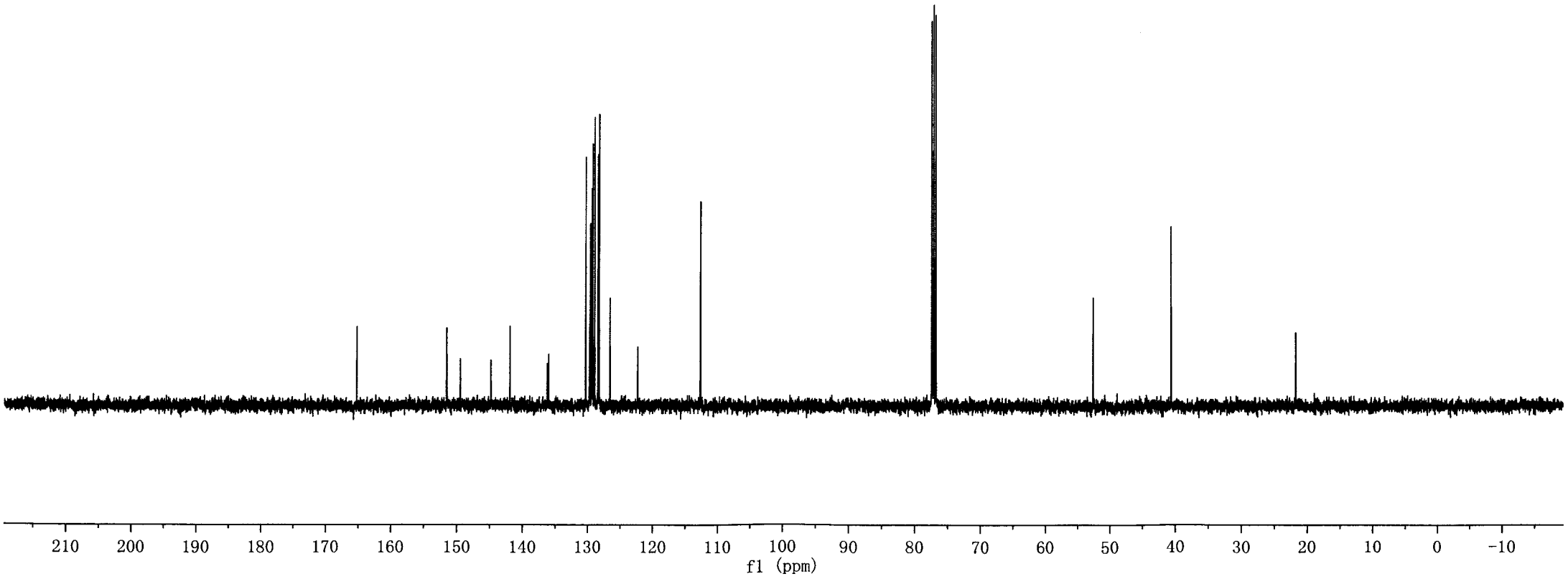

Ts
N
Ph
O
Ph
N

5i


2.05
3.02
3.08
6.57
2.08
1.94
2.08
1.00
0.96
6.16
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
N
Ph
O
Ph
N

5i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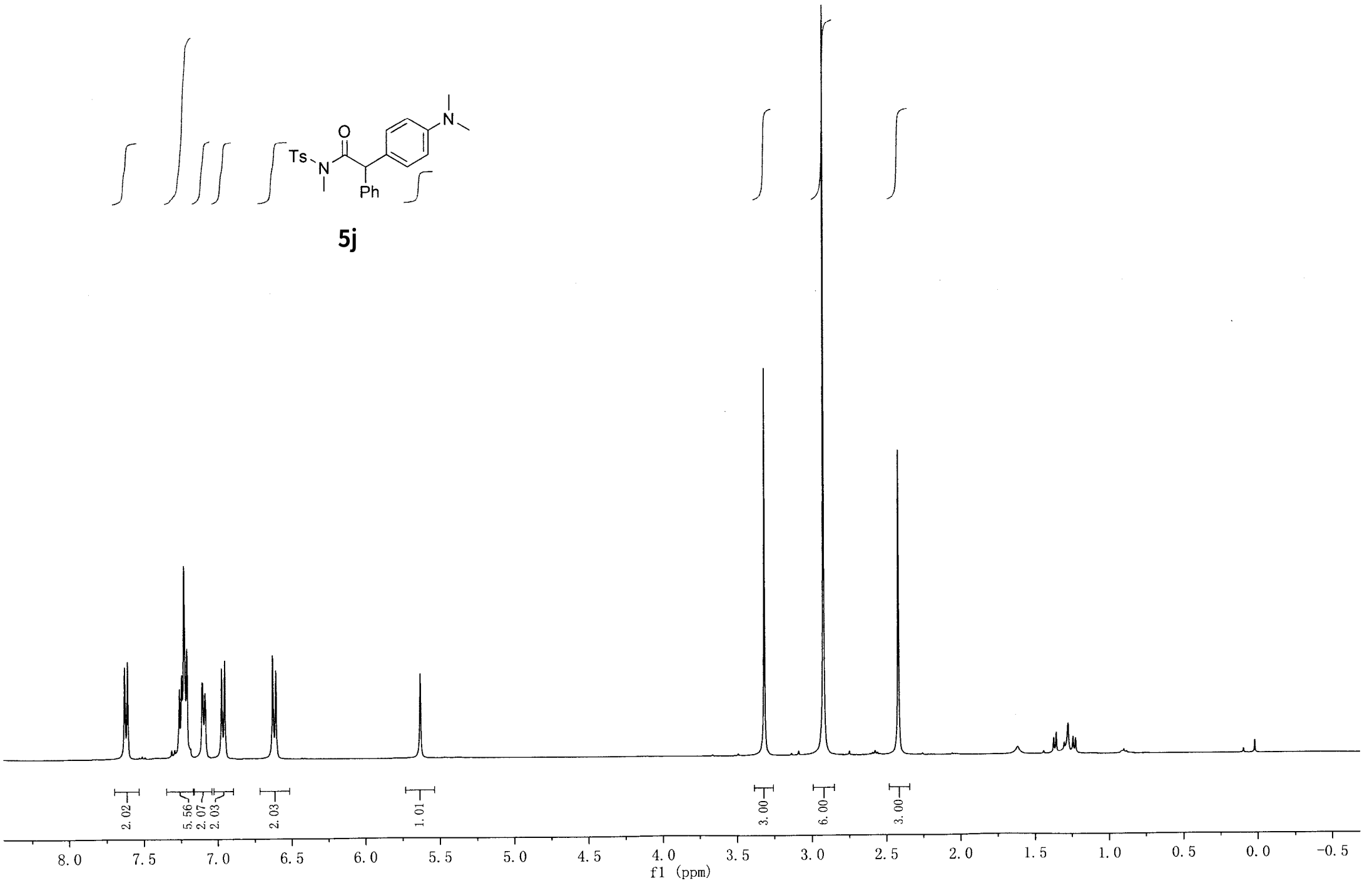
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

Ts
N
O
N
Ph

5j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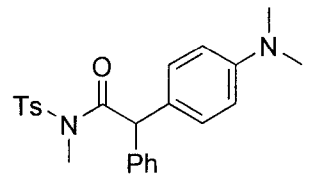
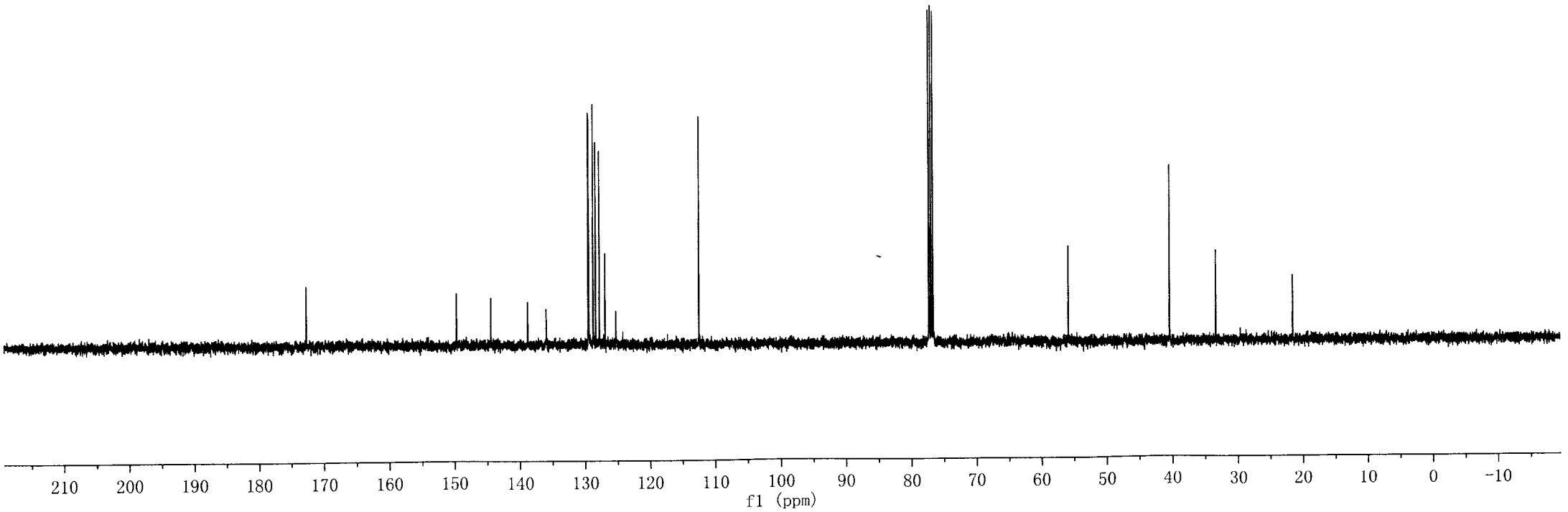
5.56
2.07
2.03
2.03
1.01
3.00
6.00
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ts
N
O
Ph
N

5j


210
200
190
180
170
160
150
140
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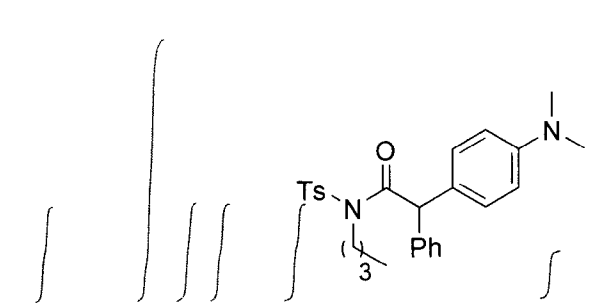
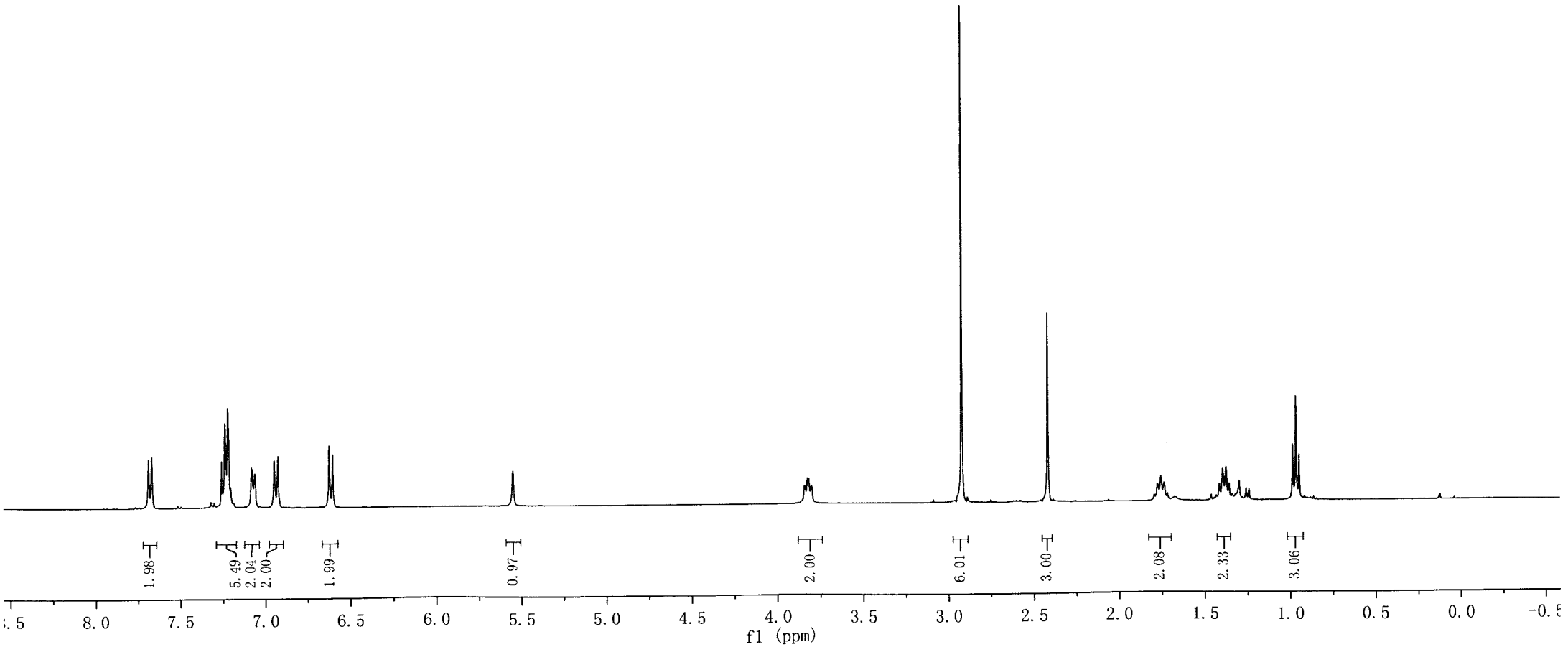
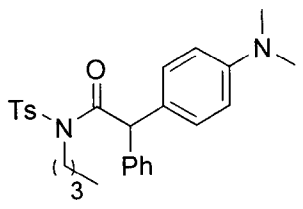
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

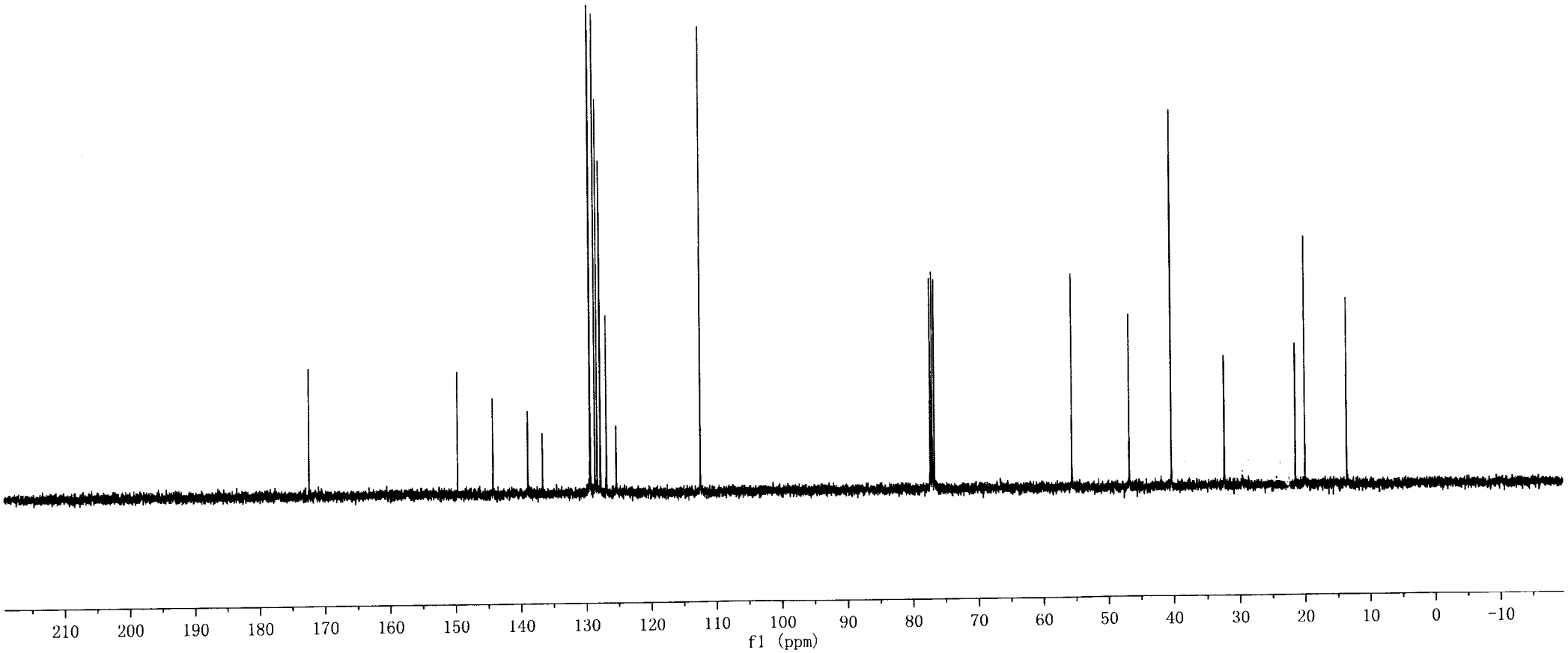

Ts
N
O
Ph
3
N

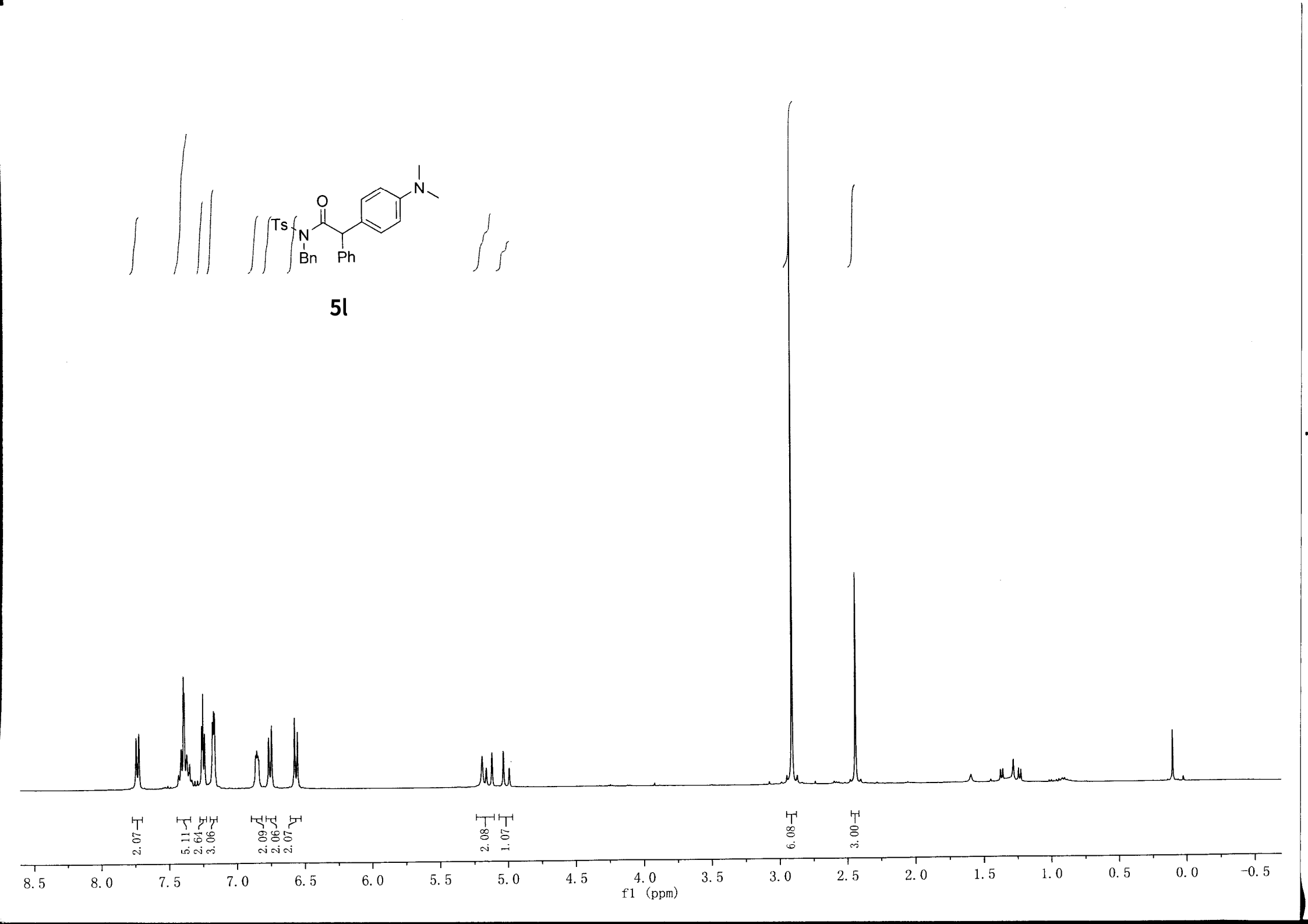
5k

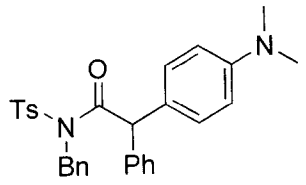

1.98
5.49
2.04
2.00
1.99
0.97
2.00
6.01
3.00
2.08
2.33
3.06
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
N
O
Ph
3
N

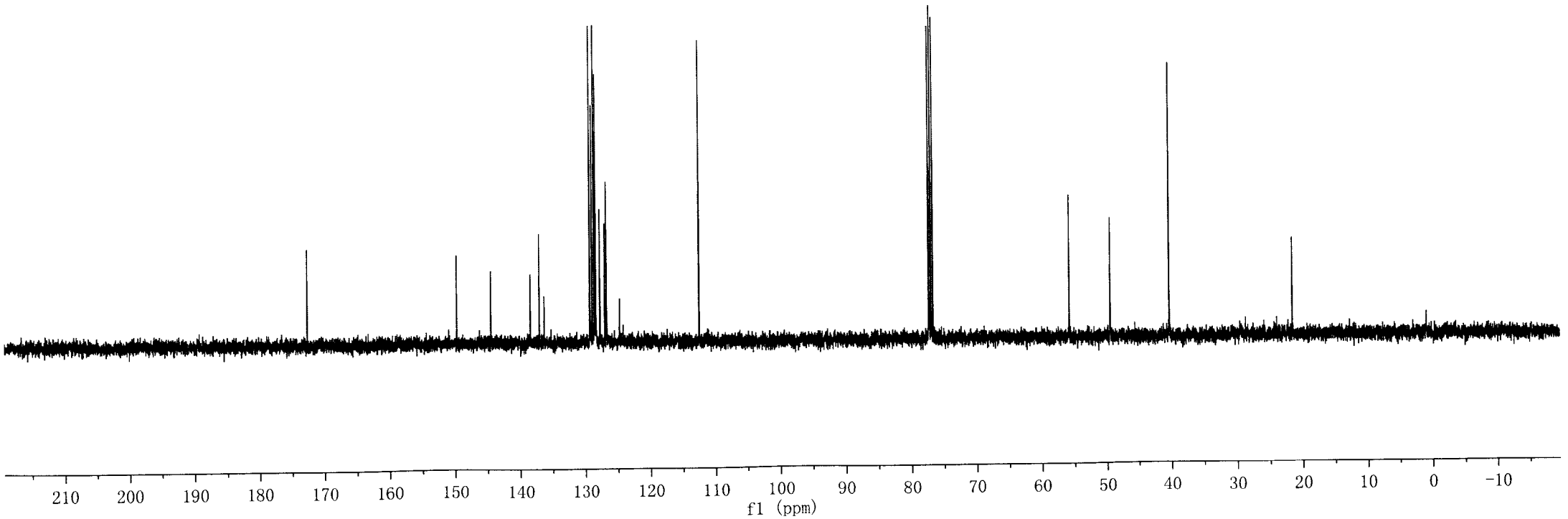
5k

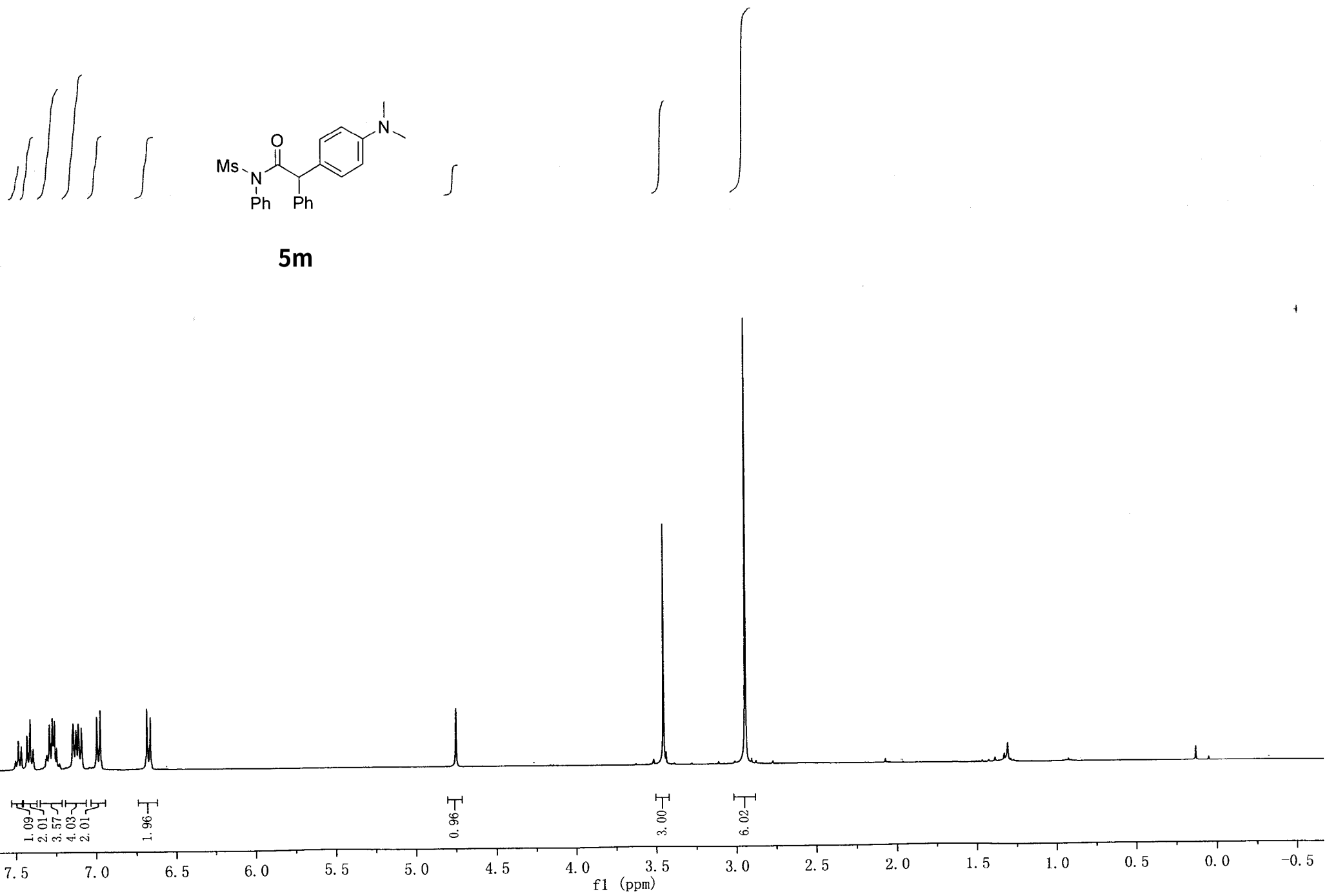

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
Bn
O
Ph
N
5l
2.07
5.11
2.64
3.06
2.09
2.06
2.07
2.08
1.07
6.08
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

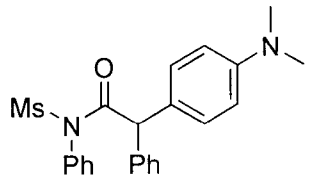

Ts
N
Bn
O
Ph
N

5l

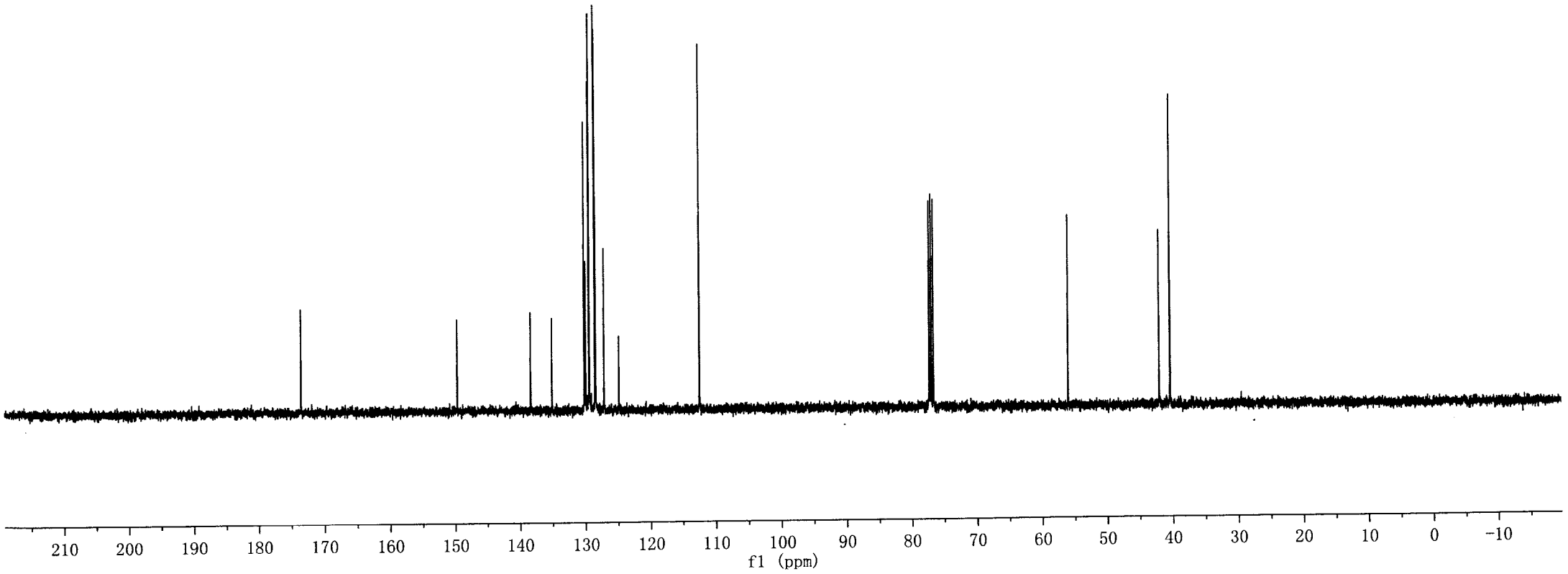

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ms
N
Ph
O
Ph
N
1.09
2.01
3.57
4.03
2.01
1.96
0.96
3.00
6.02
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
−0.5
f1 (ppm)

5m

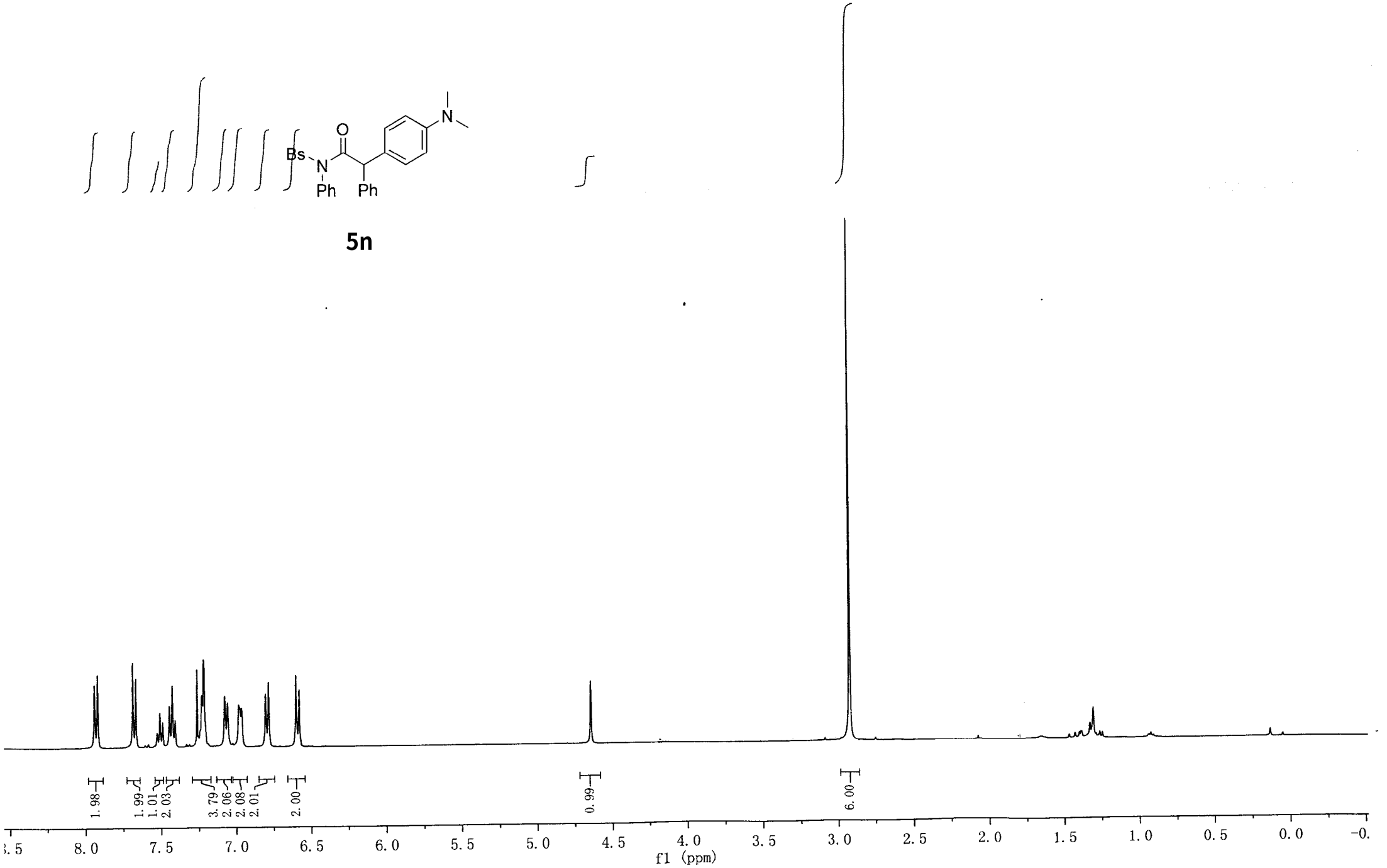

Ms
N
Ph
O
Ph
N

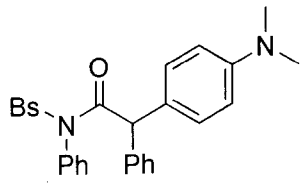
5m


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

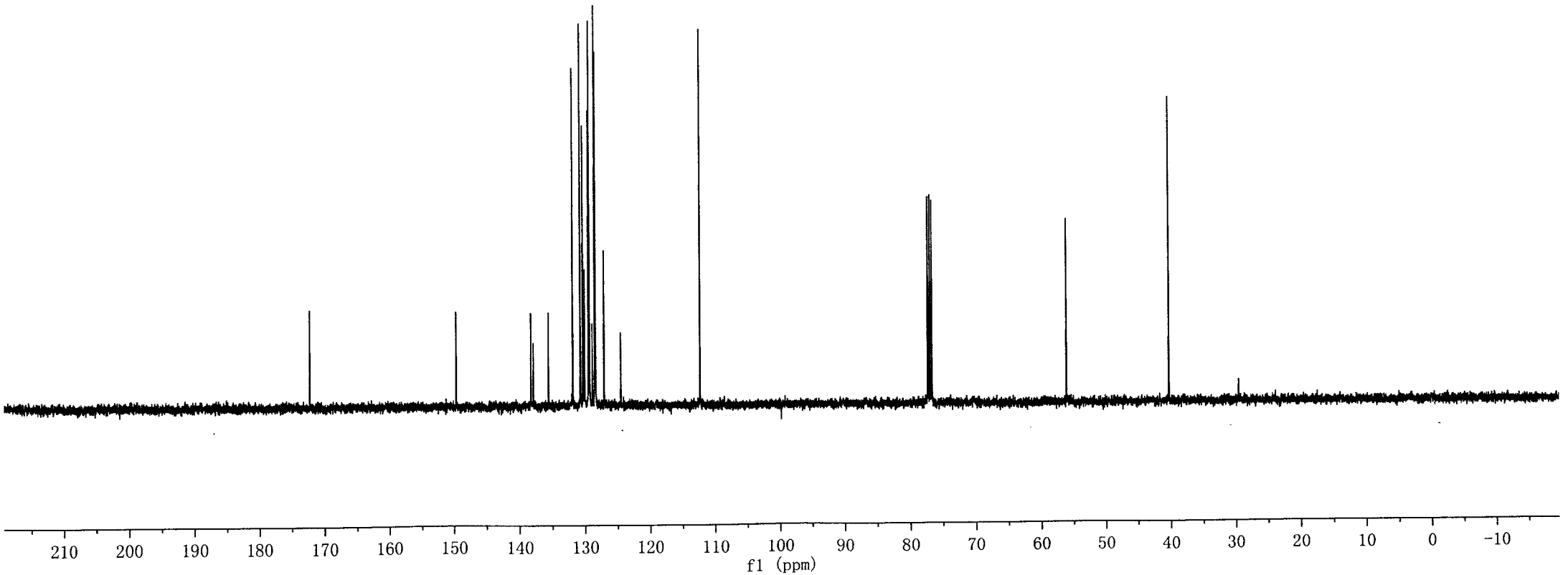
O
Bs
N
Ph
Ph
N

5n


1.98
1.99
1.01
2.03
3.79
2.06
2.08
2.01
2.00
0.99
6.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Bs
N
O
Ph
Ph
N

5n


210
200
190
180
170
160
150
140
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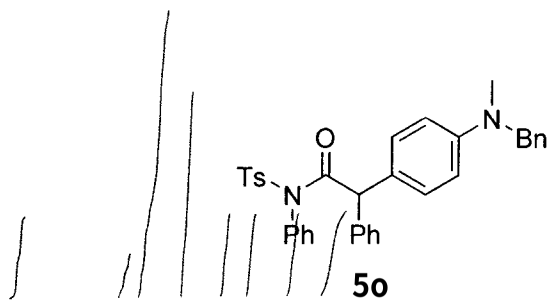
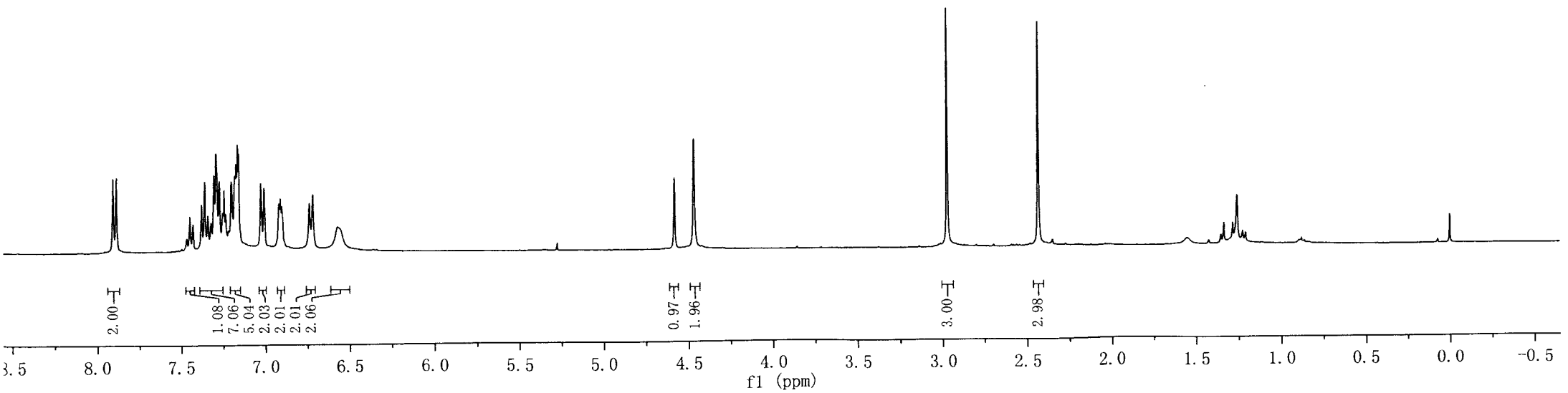
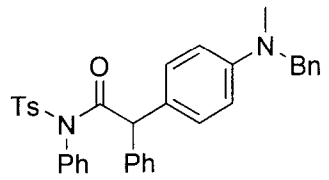
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

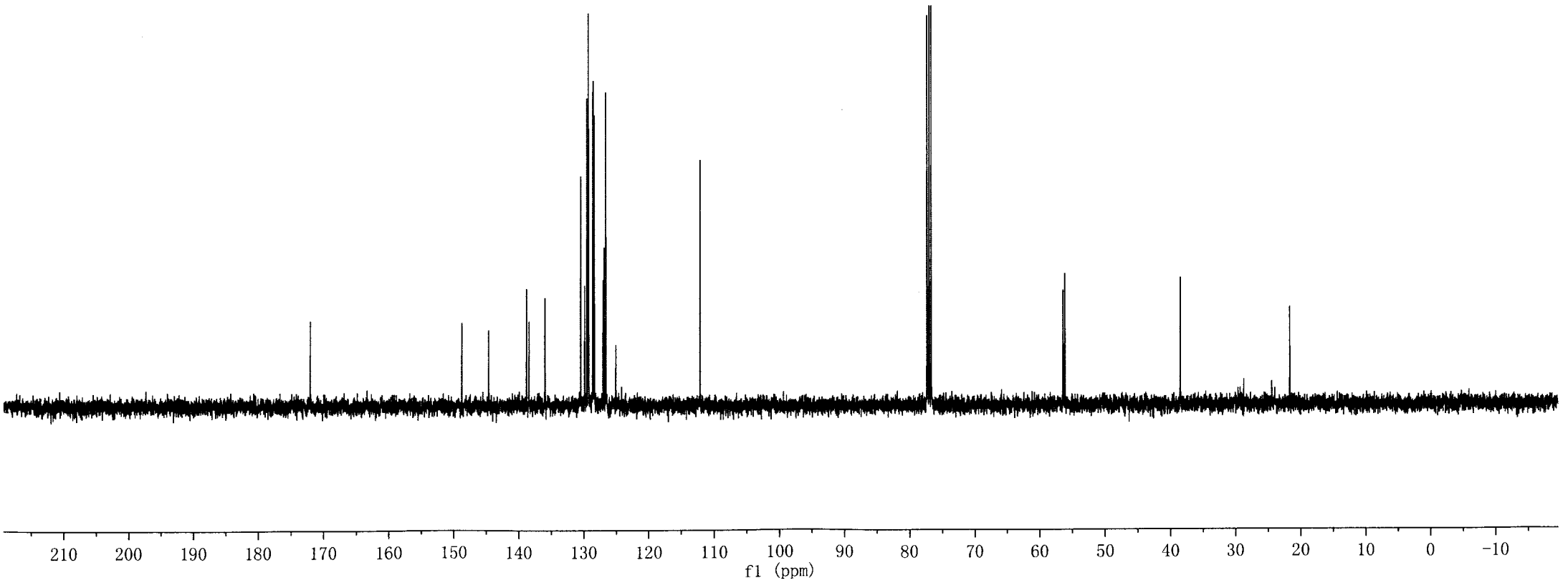

Ts
N
O
Ph
Ph
N
Bn

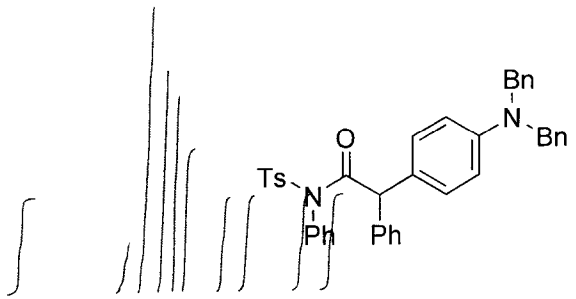
5o


2.00
1.08
7.06
5.04
2.03
2.01
2.01
2.06
0.97
1.96
3.00
2.98
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

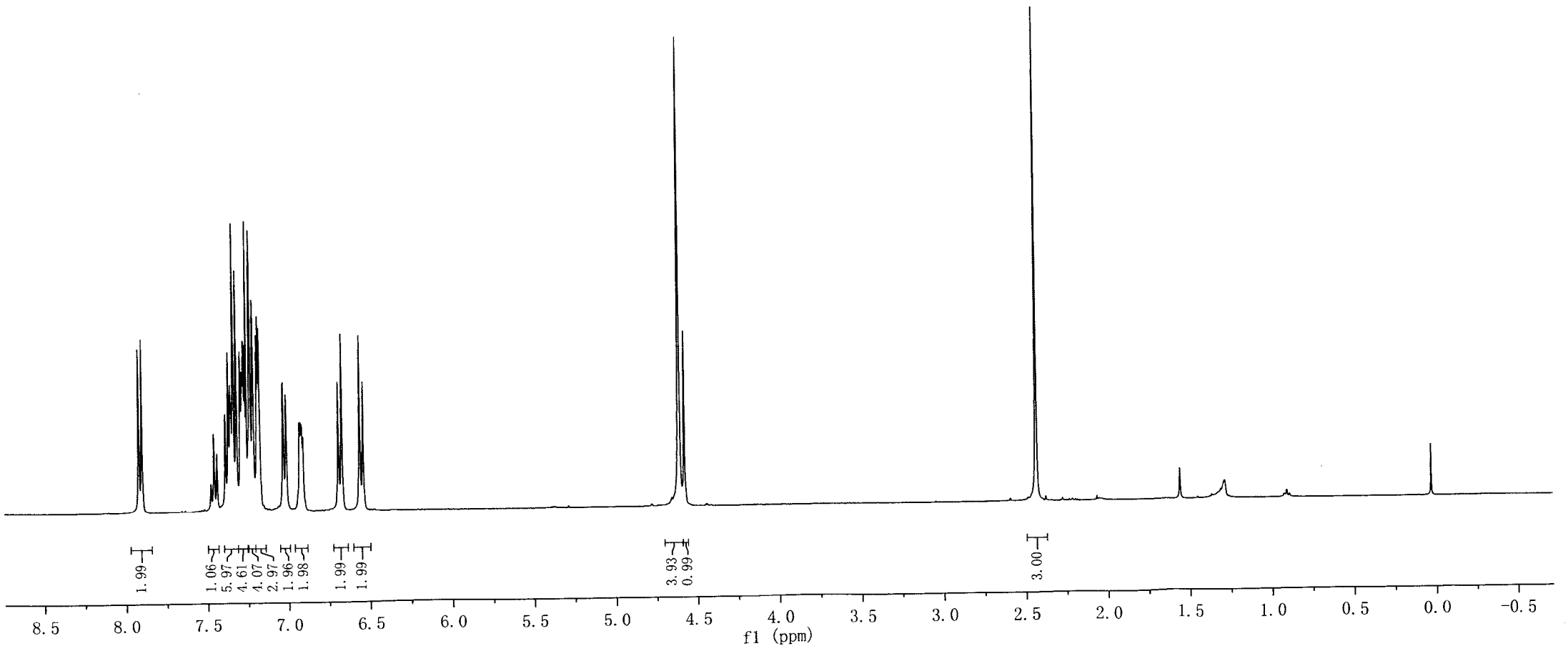

O
Ts
N
Ph
Ph
N
Bn

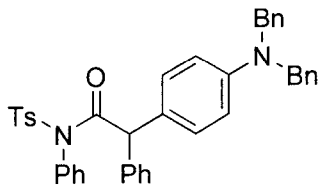
5o


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

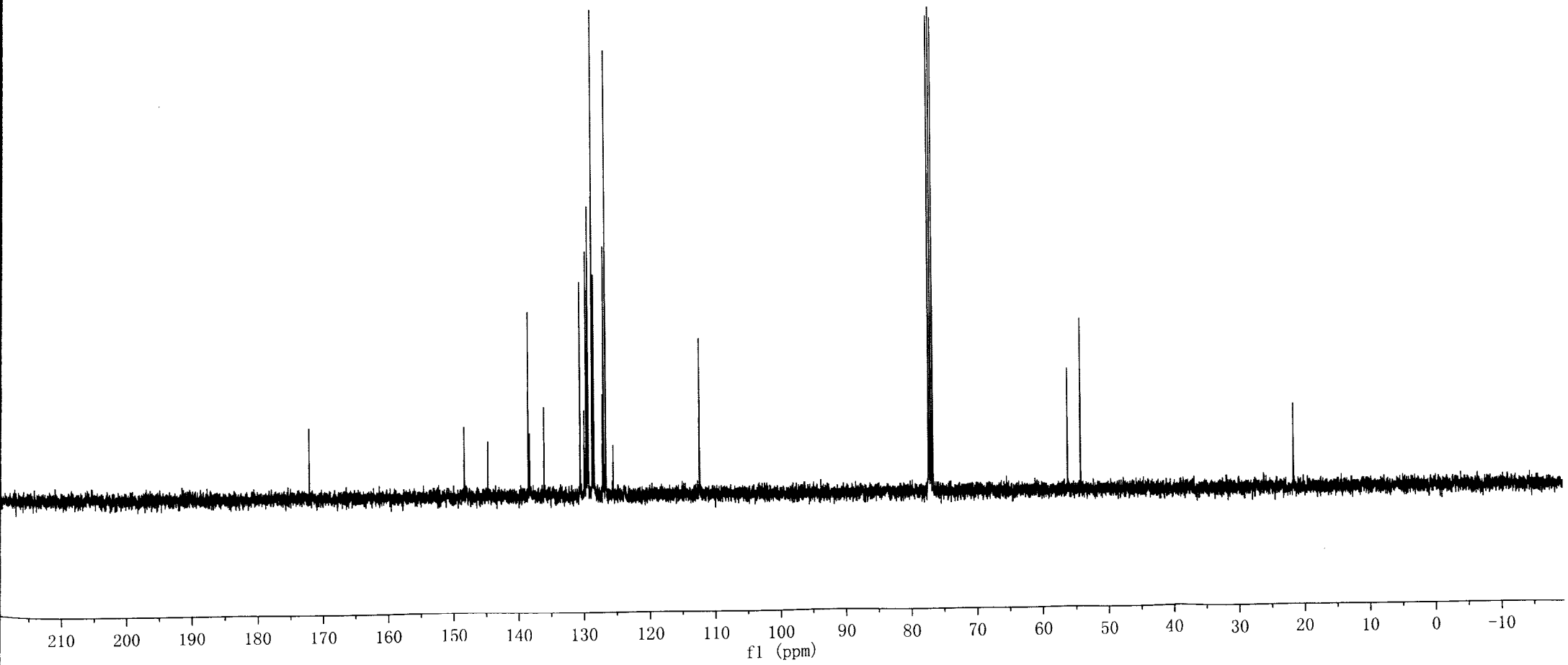

Bn
N
Bn
O
Ts
N
Ph
Ph

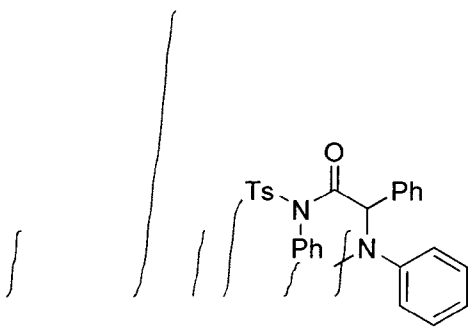
5p


1.99
1.06
5.97
4.61
4.07
2.97
1.96
1.98
1.99
1.99
3.93
0.99
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

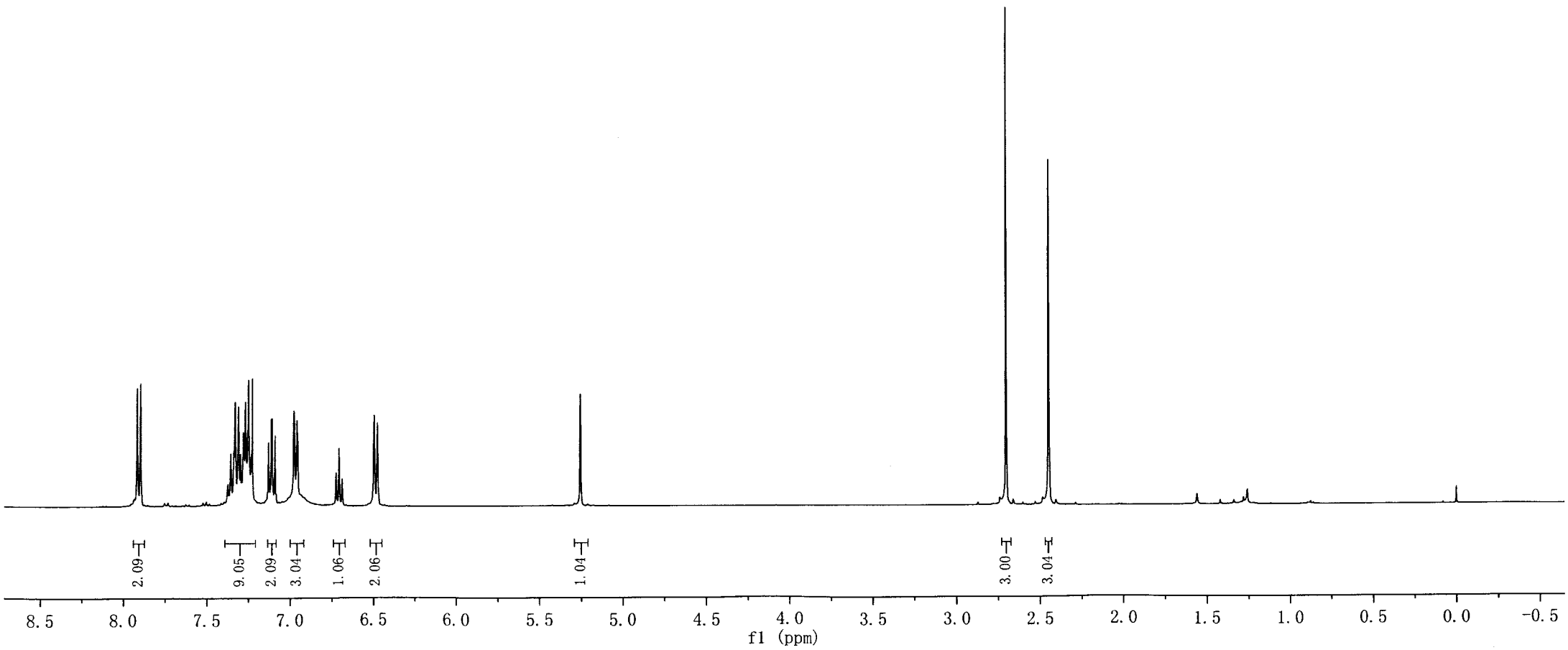

Bn
N
Bn
O
Ts
N
Ph
Ph

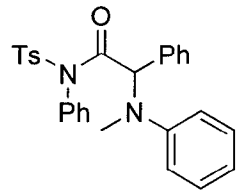
5p


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

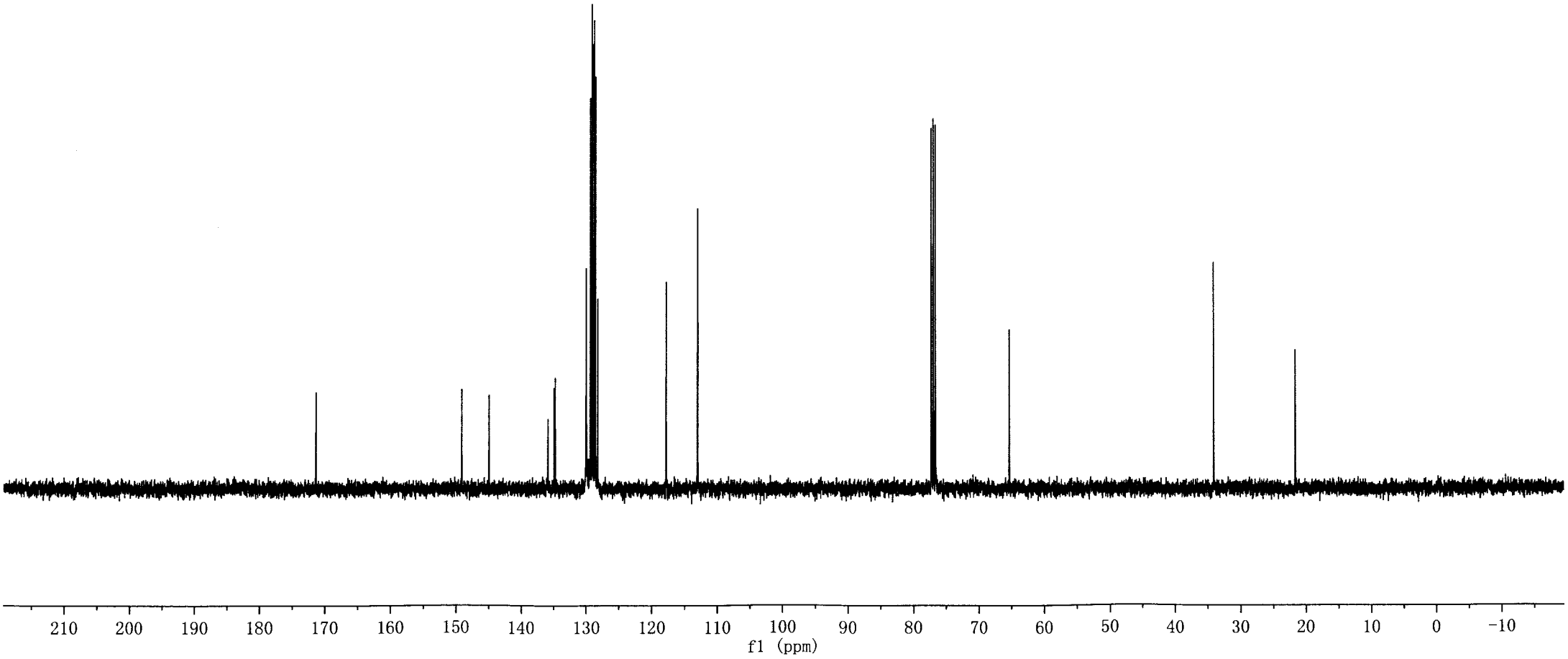

O
Ts
N
Ph
Ph
N

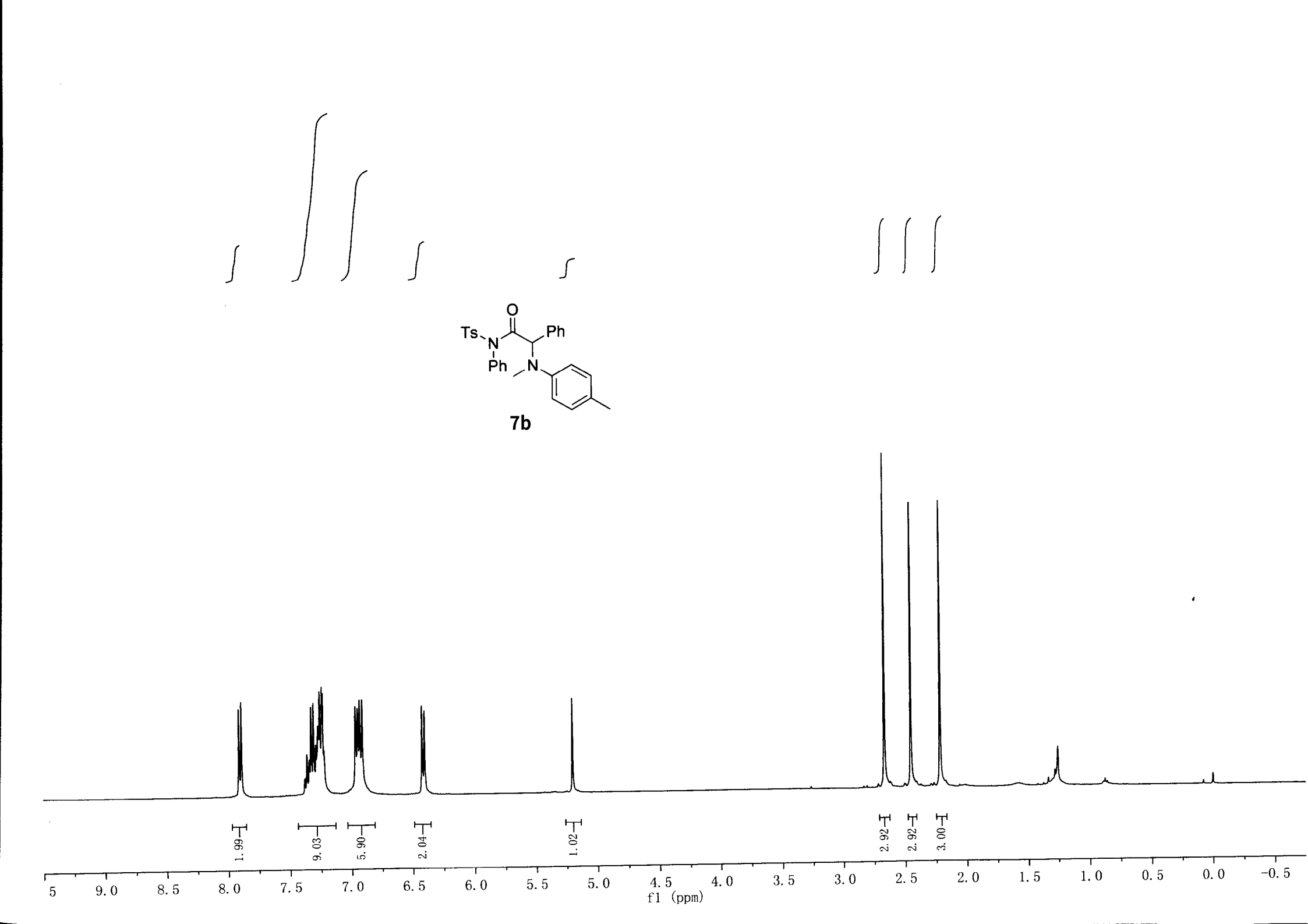
7a


2.09
9.05
2.09
3.04
1.06
2.06
1.04
3.00
3.04
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

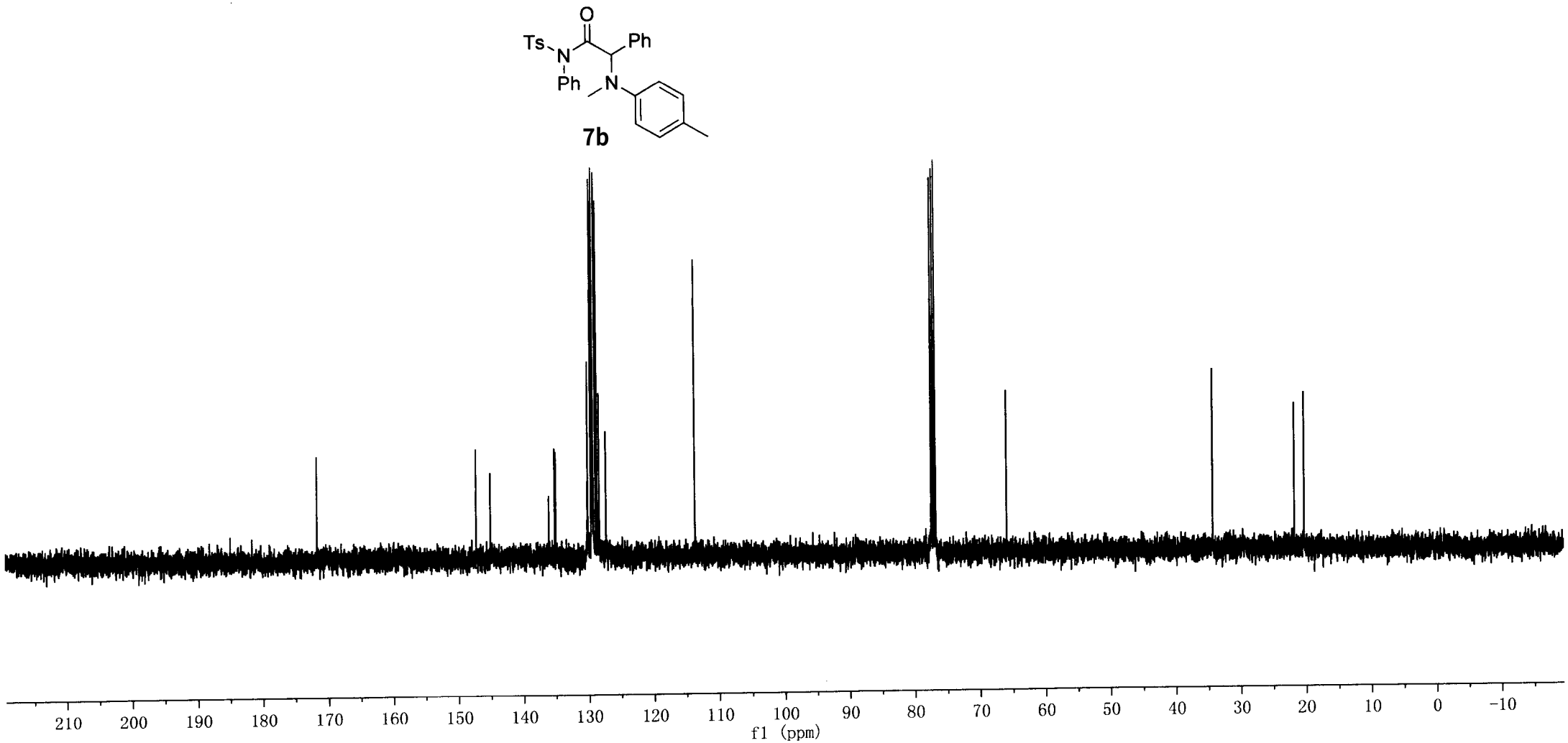

O
Ts
N
Ph
Ph
N

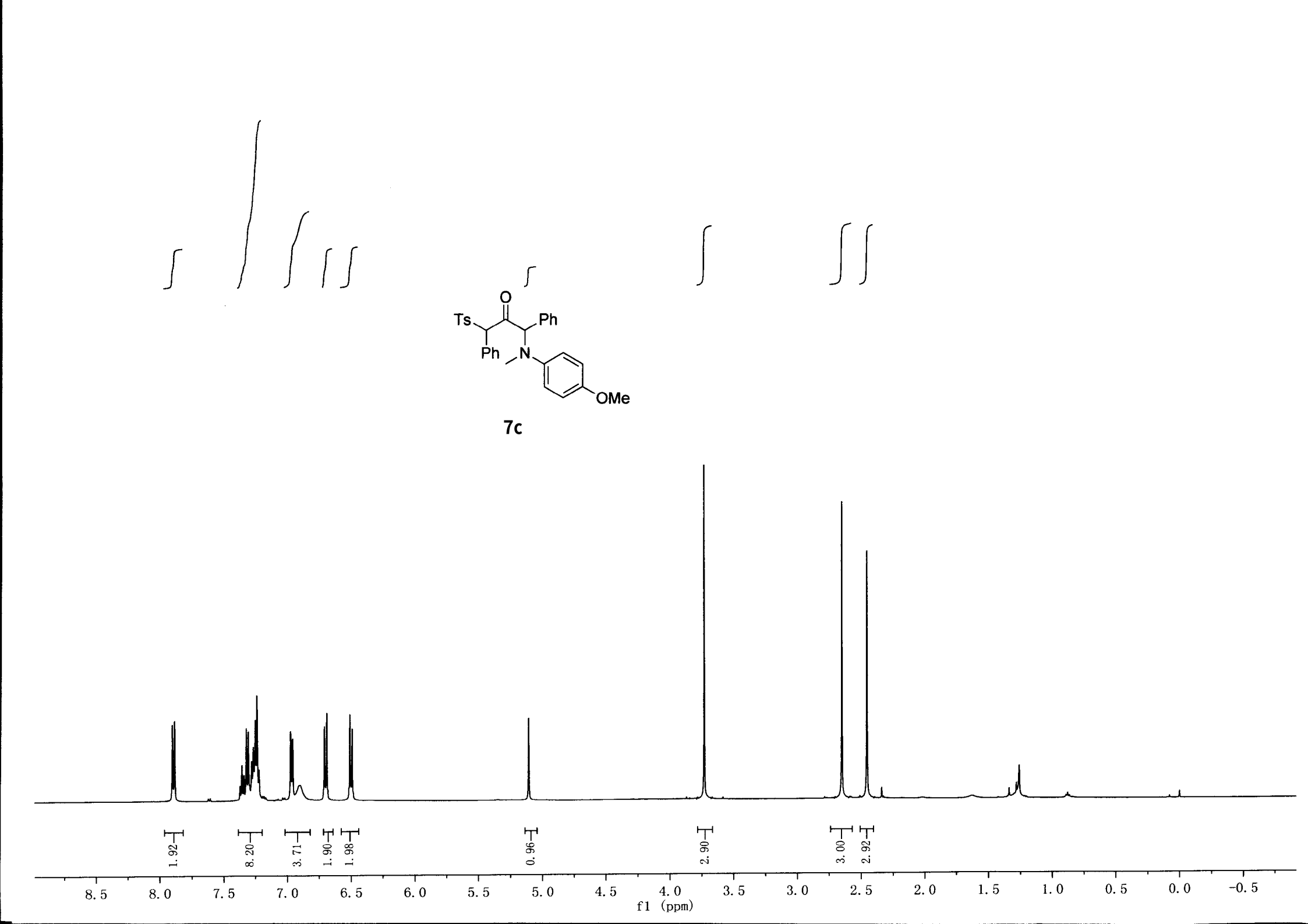
7a

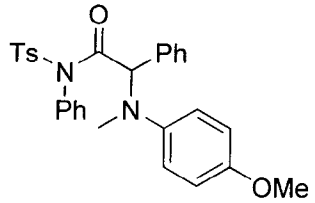

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


O
Ts
N
Ph
Ph
N
1.99
9.03
5.90
2.04
1.02
2.92
2.92
3.00
5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

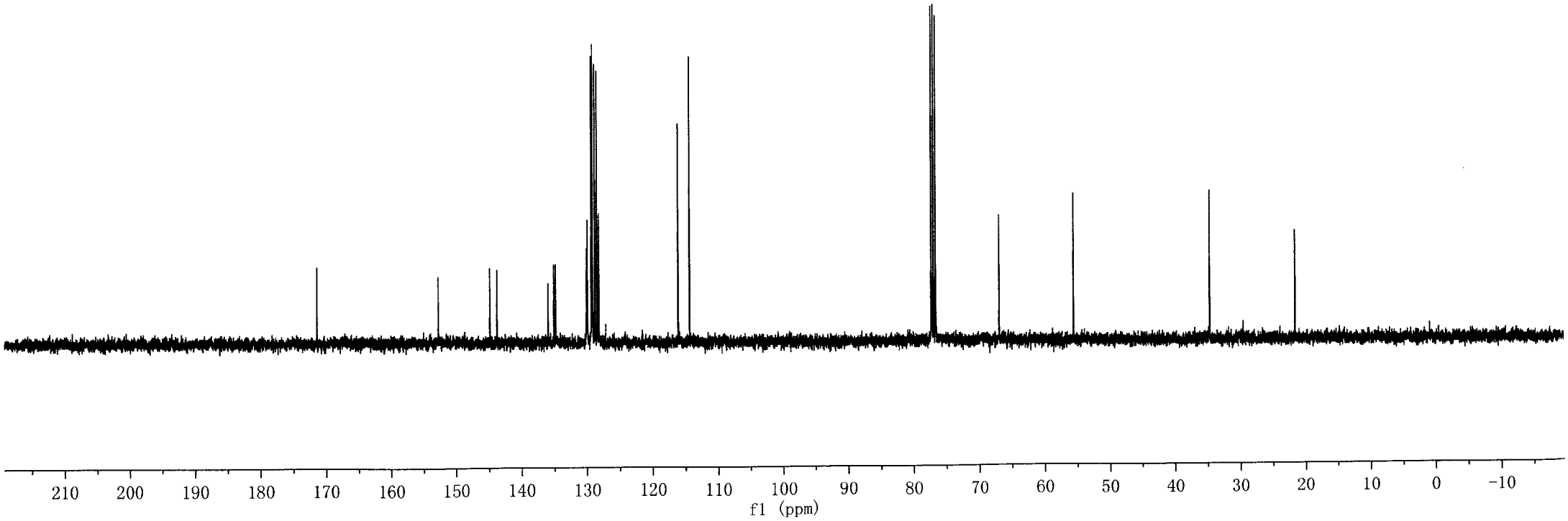
7b

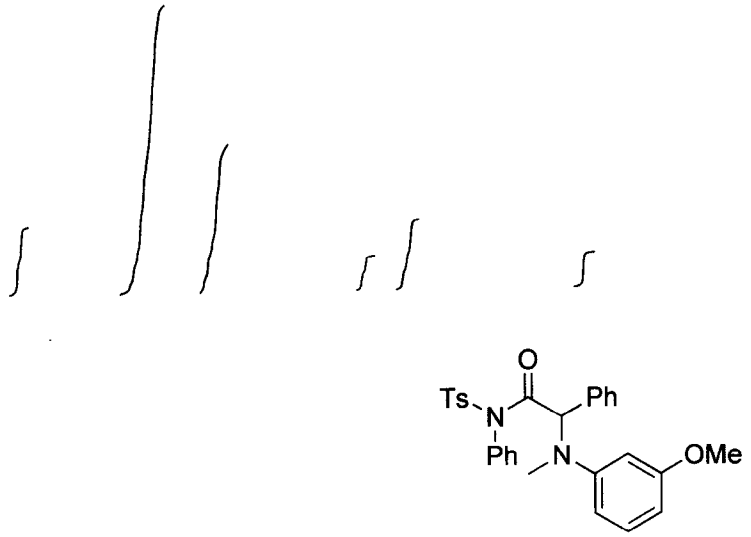

O
Ts
N
Ph
Ph
N
7b
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


O
Ts
Ph
Ph
N
OMe
7c
1.92
8.20
3.71
1.90
1.98
0.96
2.90
3.00
2.92
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

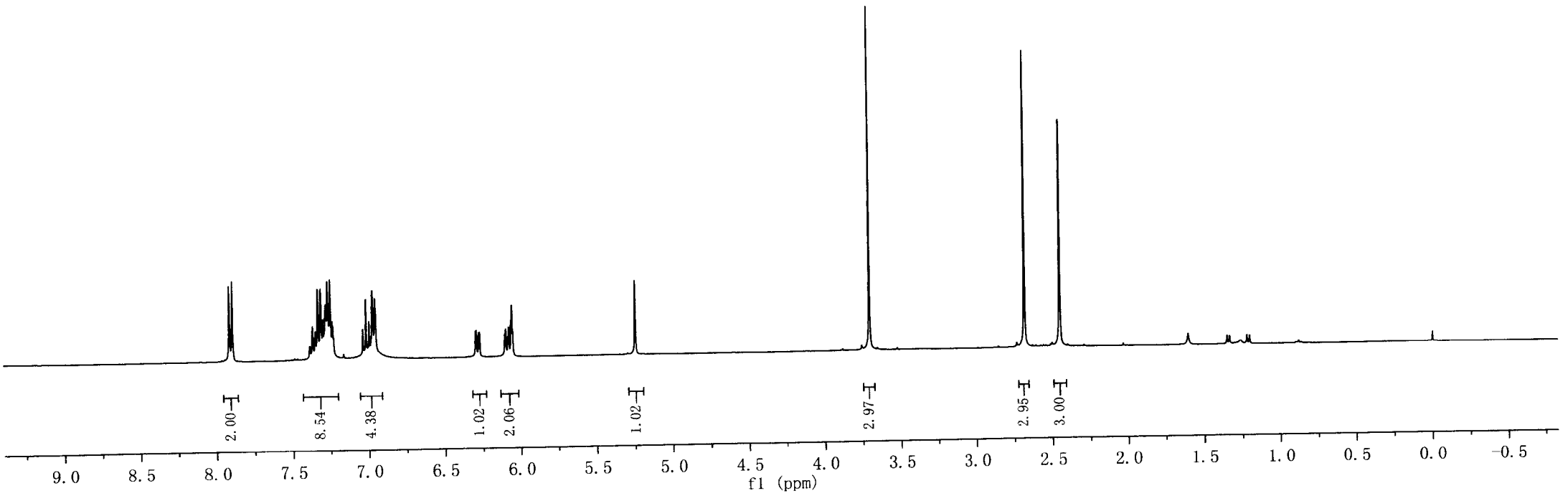

O
Ts
N
Ph
Ph
N
OMe

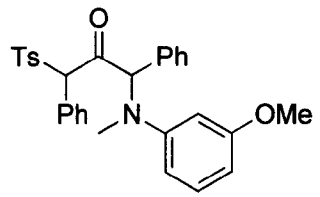
7c


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

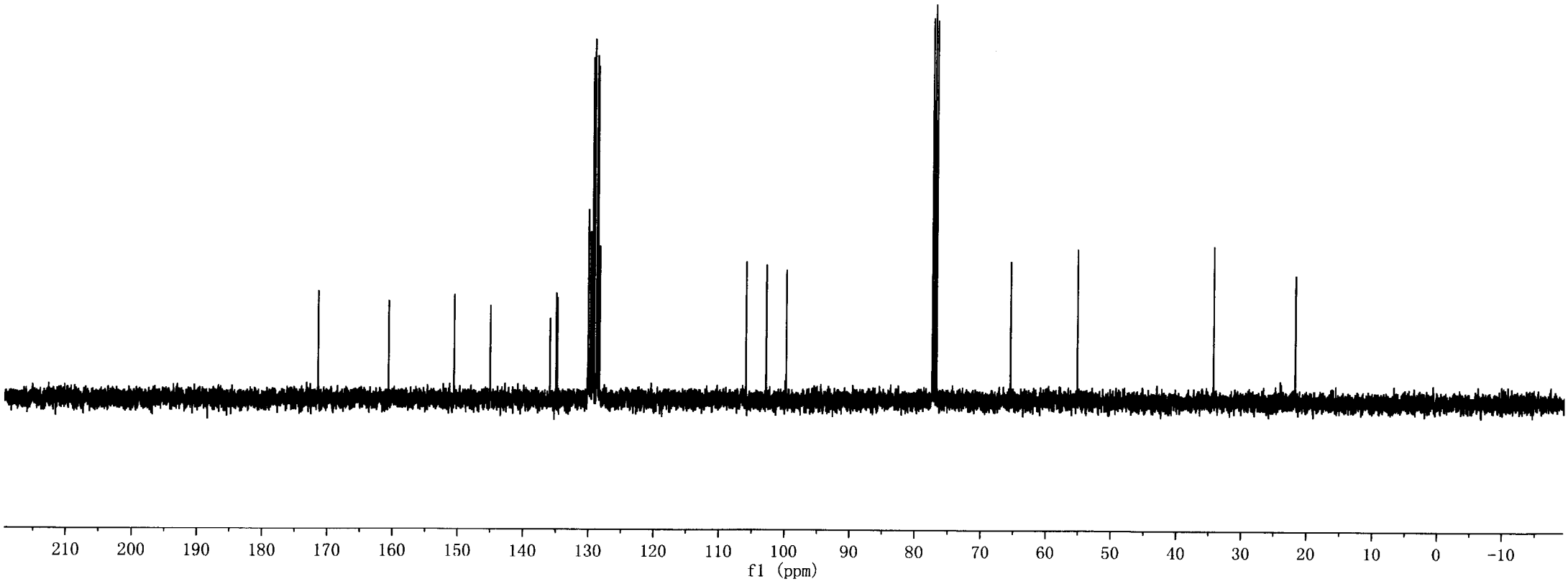

O
Ts
N
Ph
Ph
N
OMe

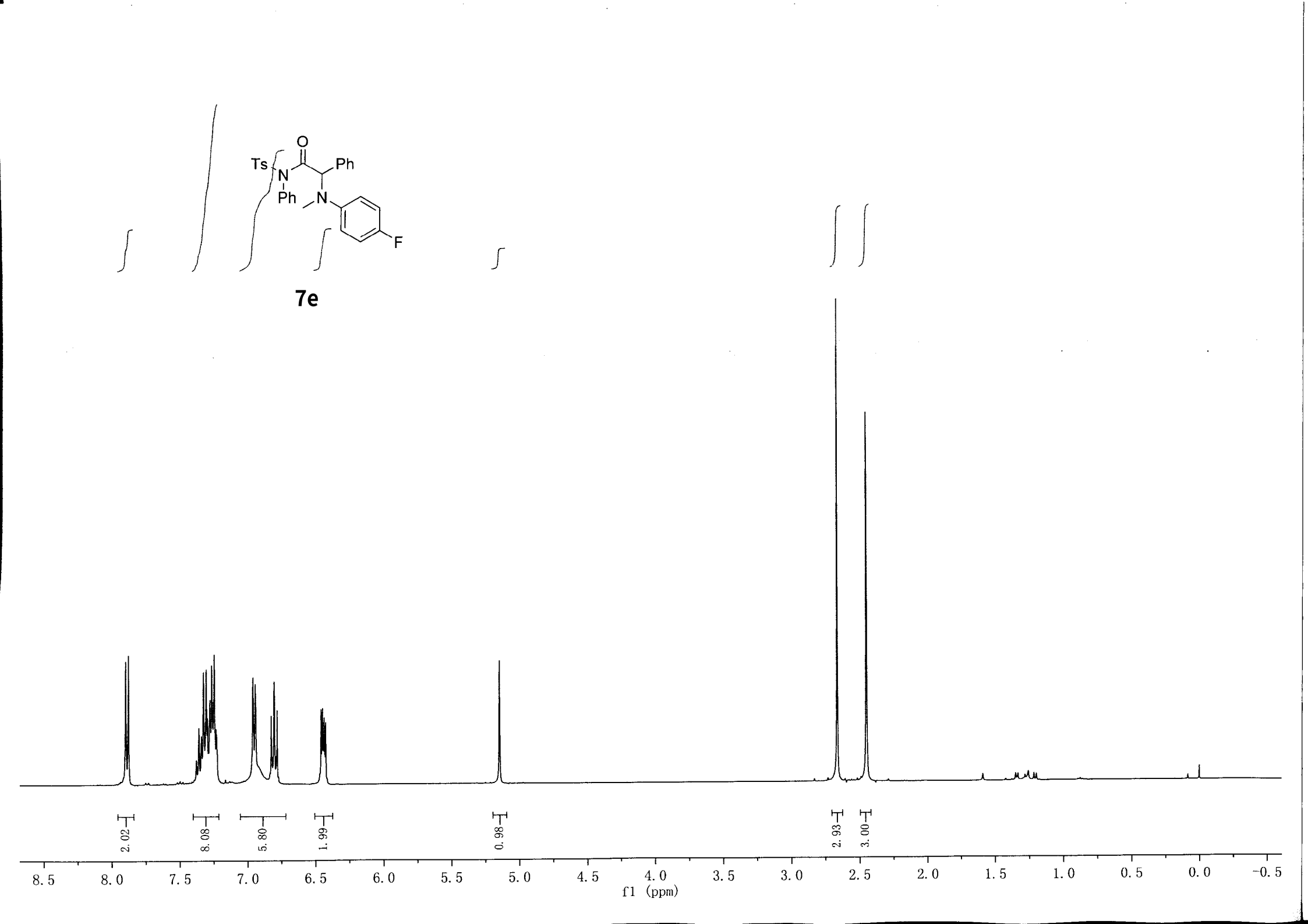
7d

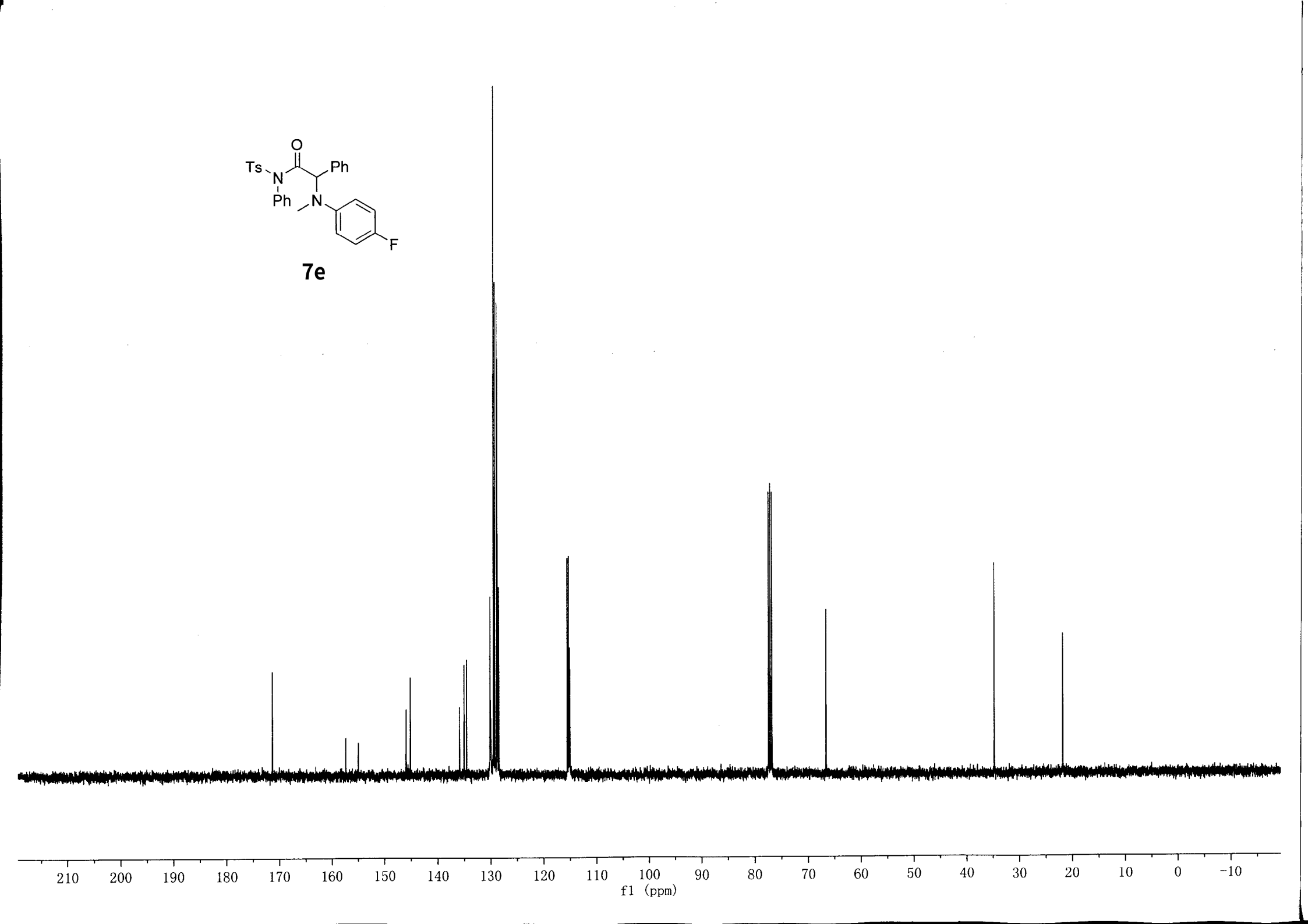

2.00
8.54
4.38
1.02
2.06
1.02
2.97
2.95
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

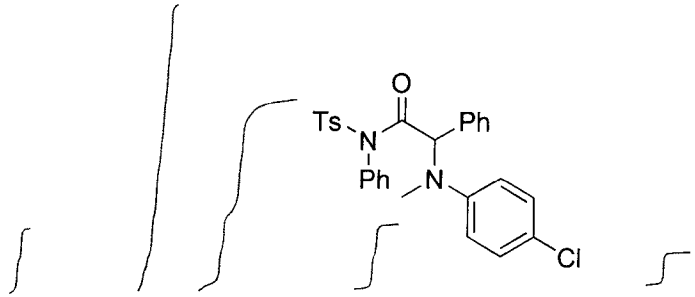

O
Ts
Ph
Ph
N
OMe

7d

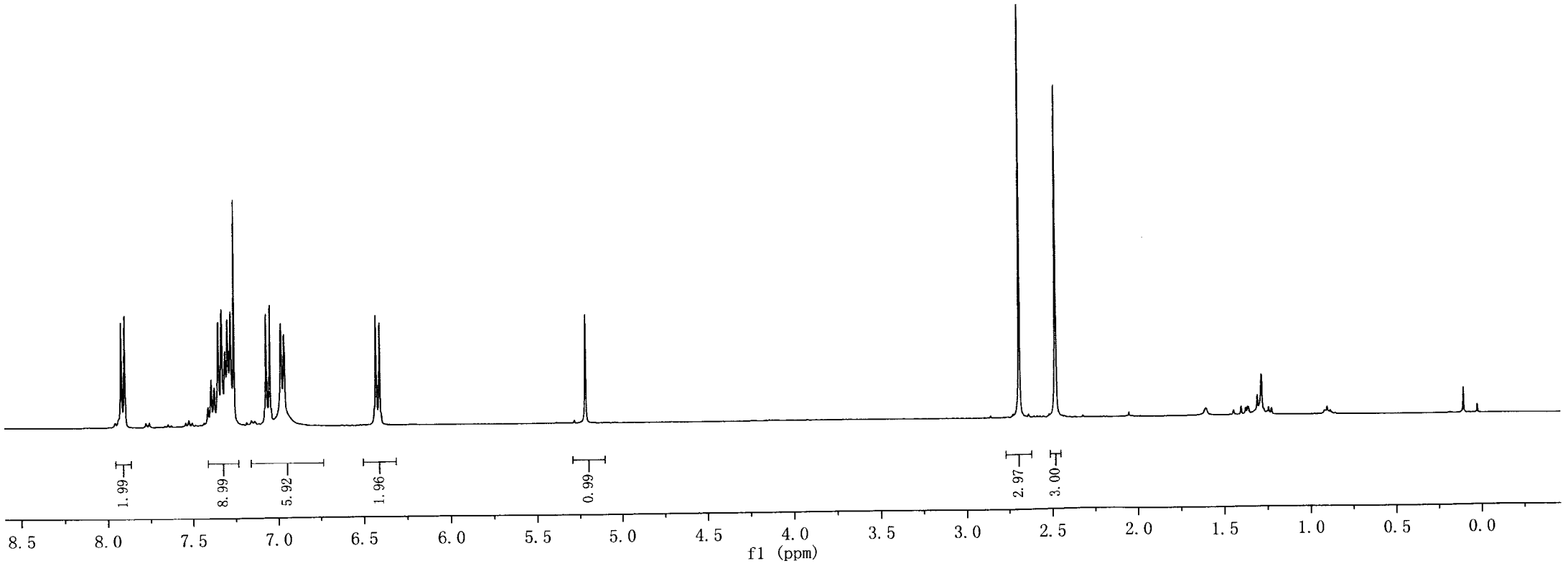

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

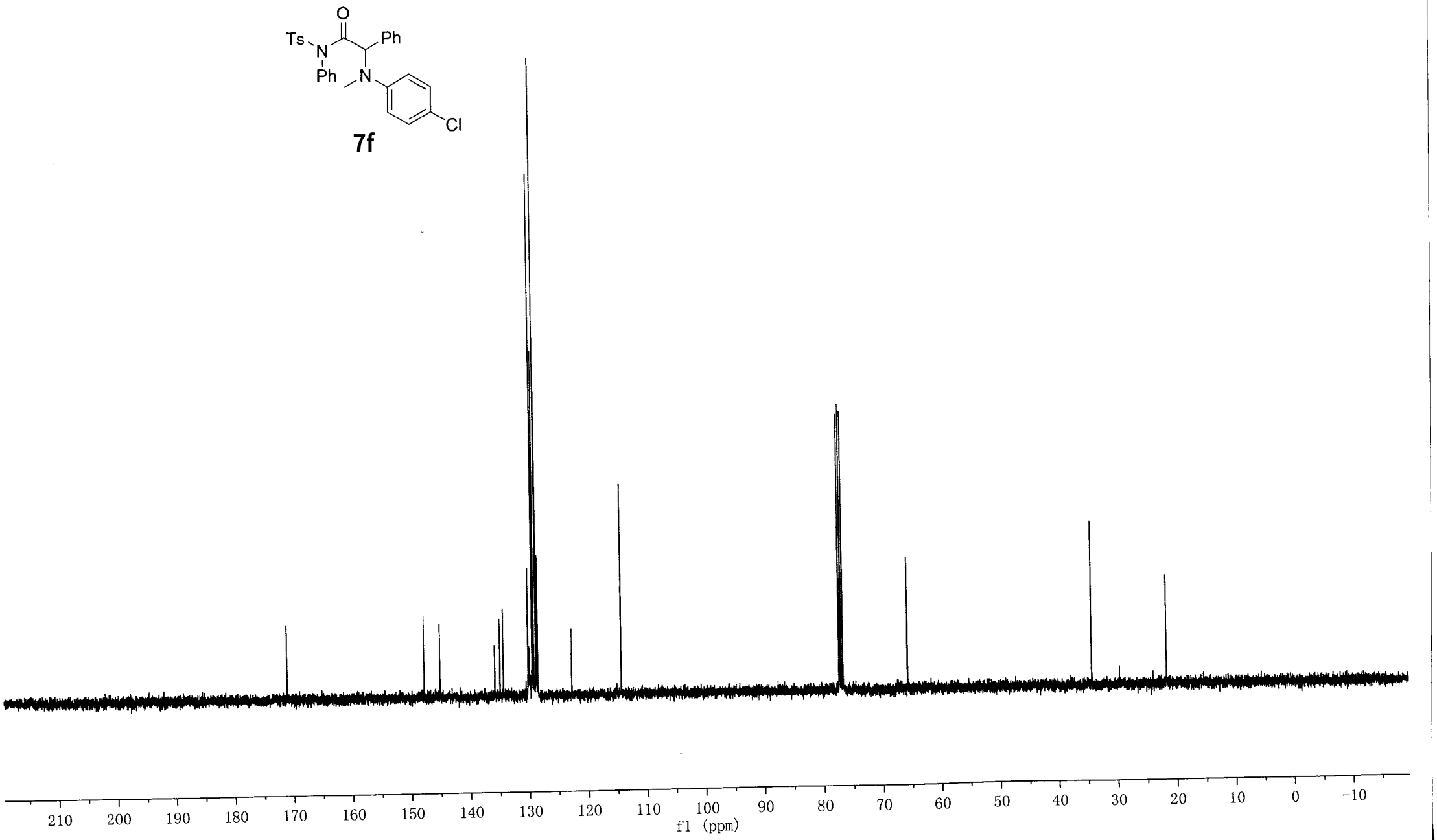

O
Ts
N
Ph
Ph
N
F
7e
2.02
8.08
5.80
1.99
0.98
2.93
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

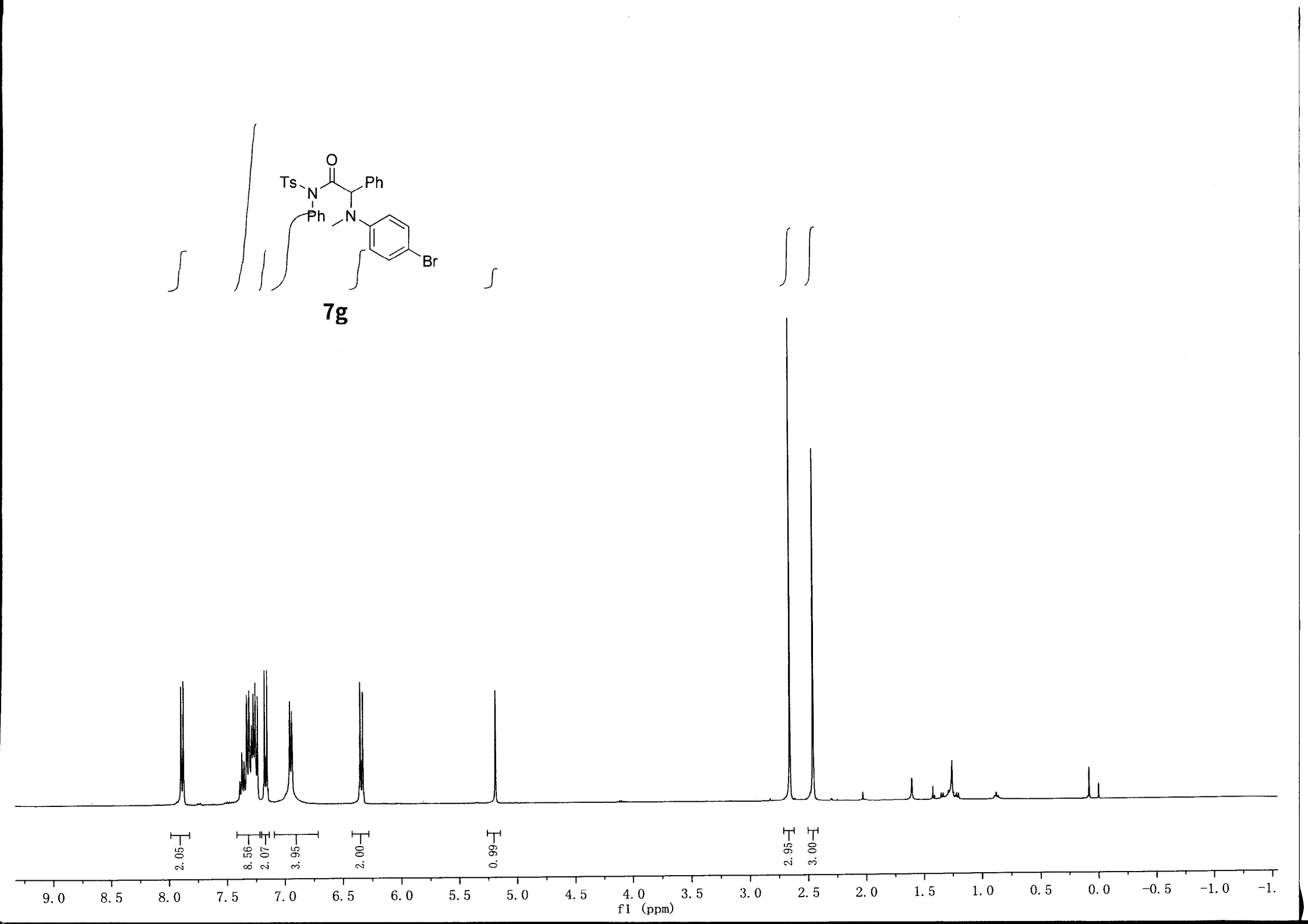

O
Ts
N
Ph
Ph
N
F
7e
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

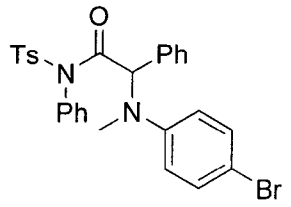

O
Ts
N
Ph
Ph
N
Cl

7f

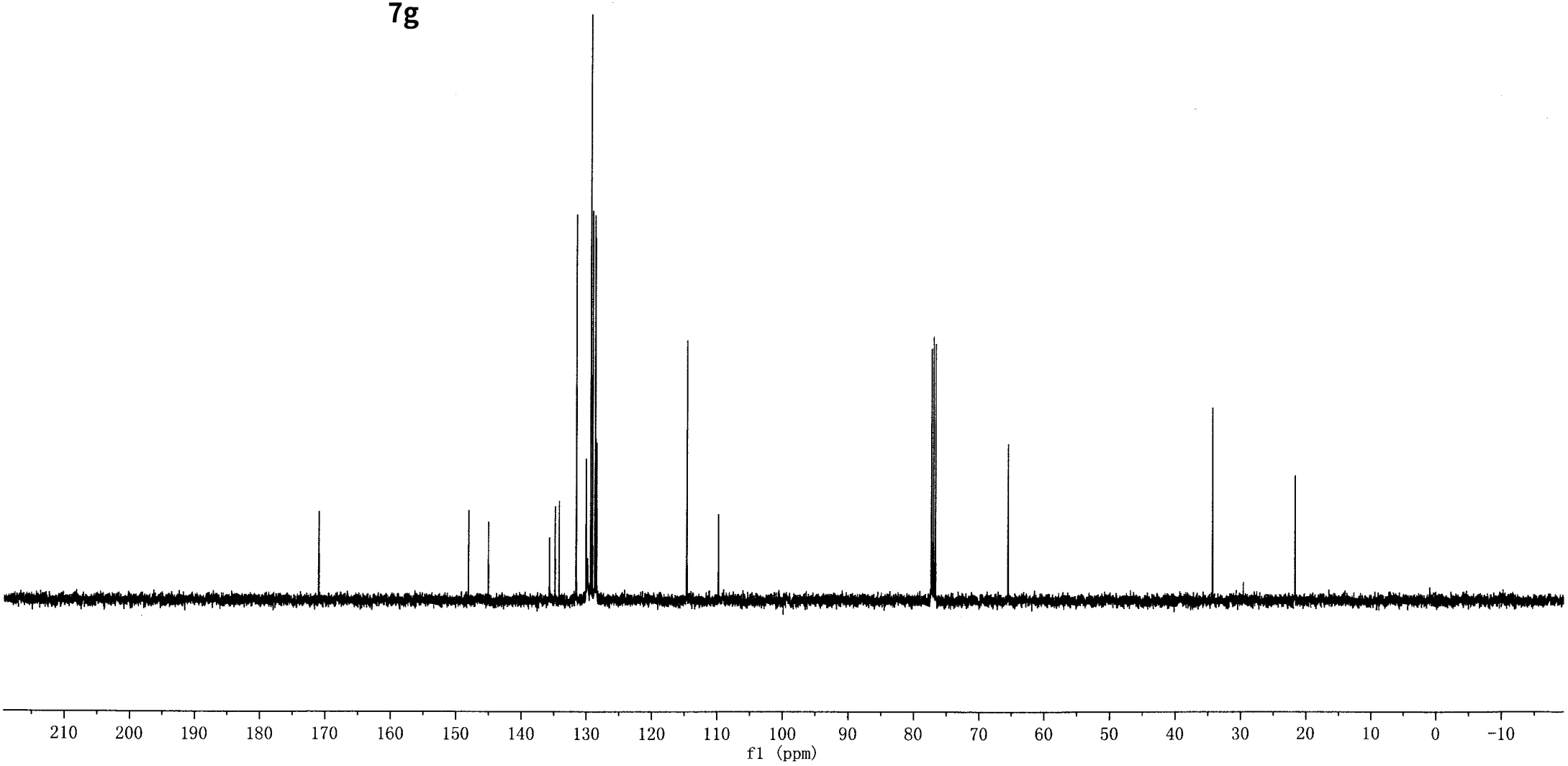

1.99
8.99
5.92
1.96
0.99
2.97
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

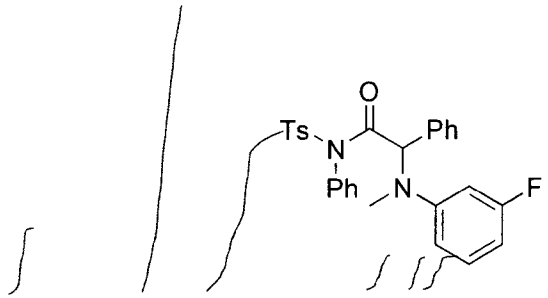

O
Ts
N
Ph
Ph
N
Cl
7f
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


O
Ts
N
Ph
Ph
N
Br
7g
2.05
8.56
2.07
3.95
2.00
0.99
2.95
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
-1.
f1 (ppm)

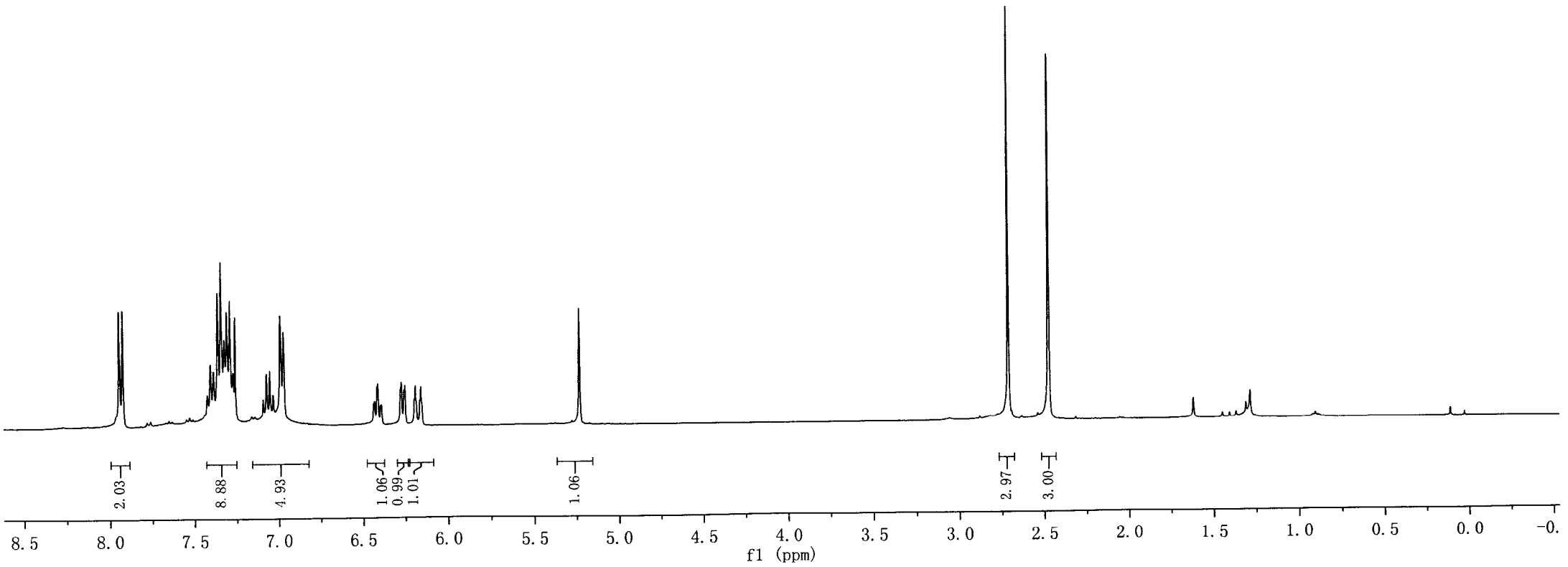

O
Ts
N
Ph
Ph
N
Br

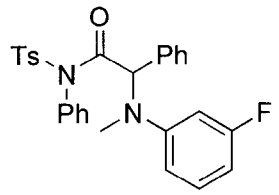
7g


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

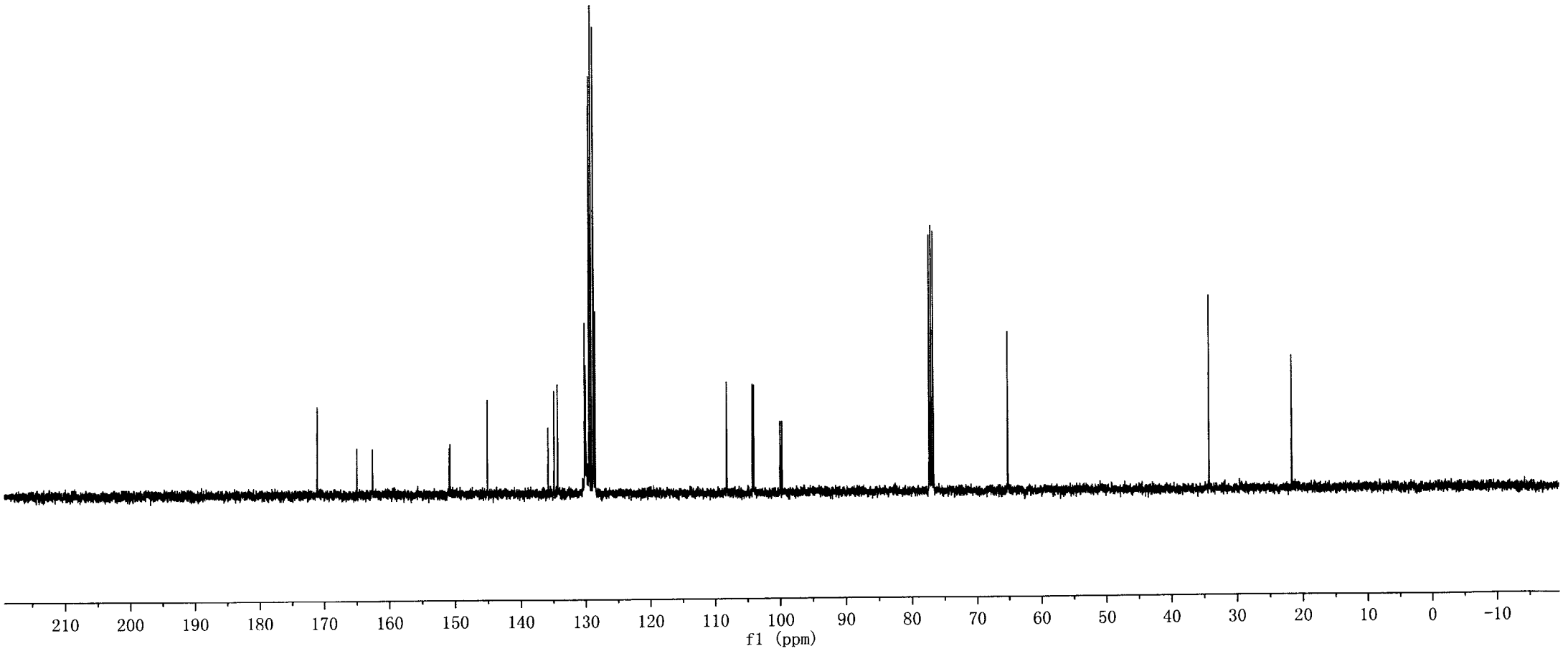

O
Ts
N
Ph
Ph
N
F

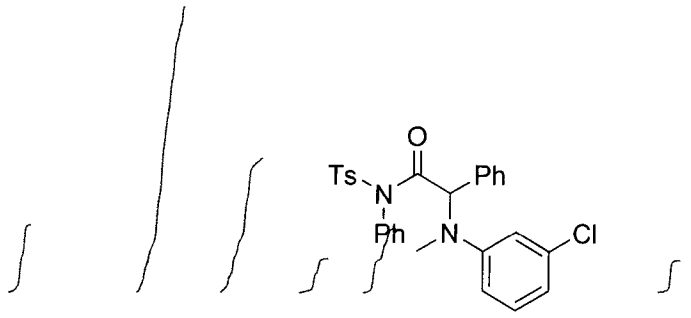
7h


2.03
8.88
4.93
1.06
0.99
1.01
1.06
2.97
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.
f1 (ppm)

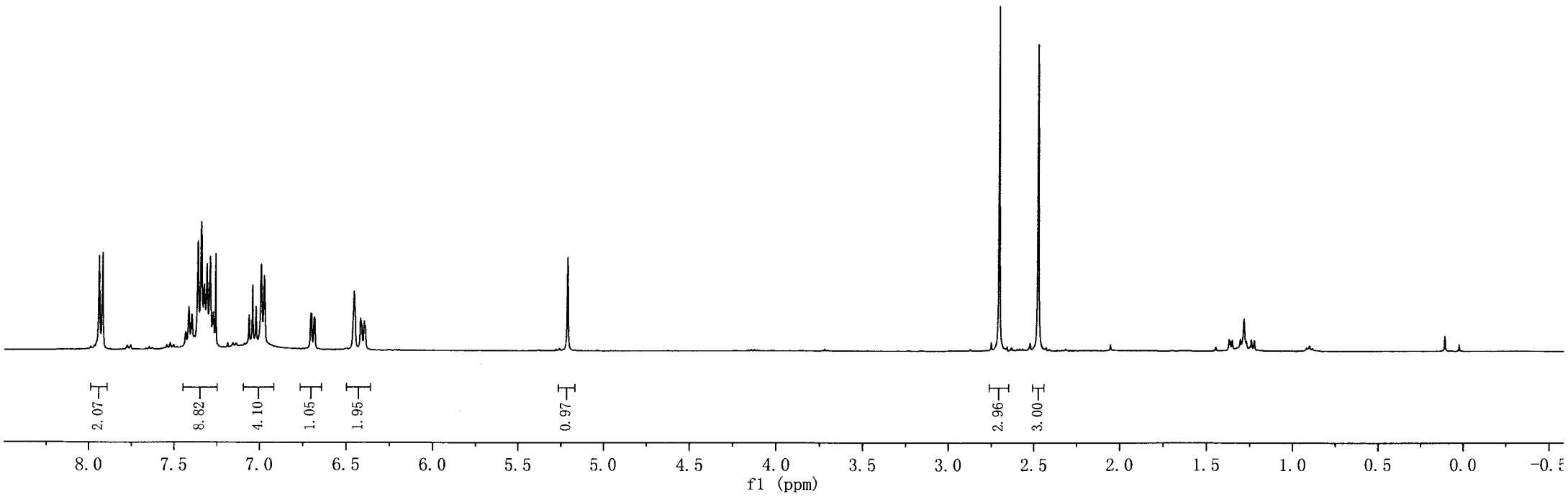

O
Ts
N
Ph
Ph
N
F

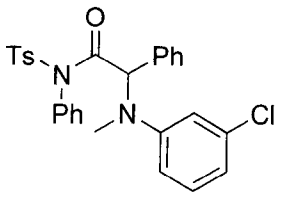
7h


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

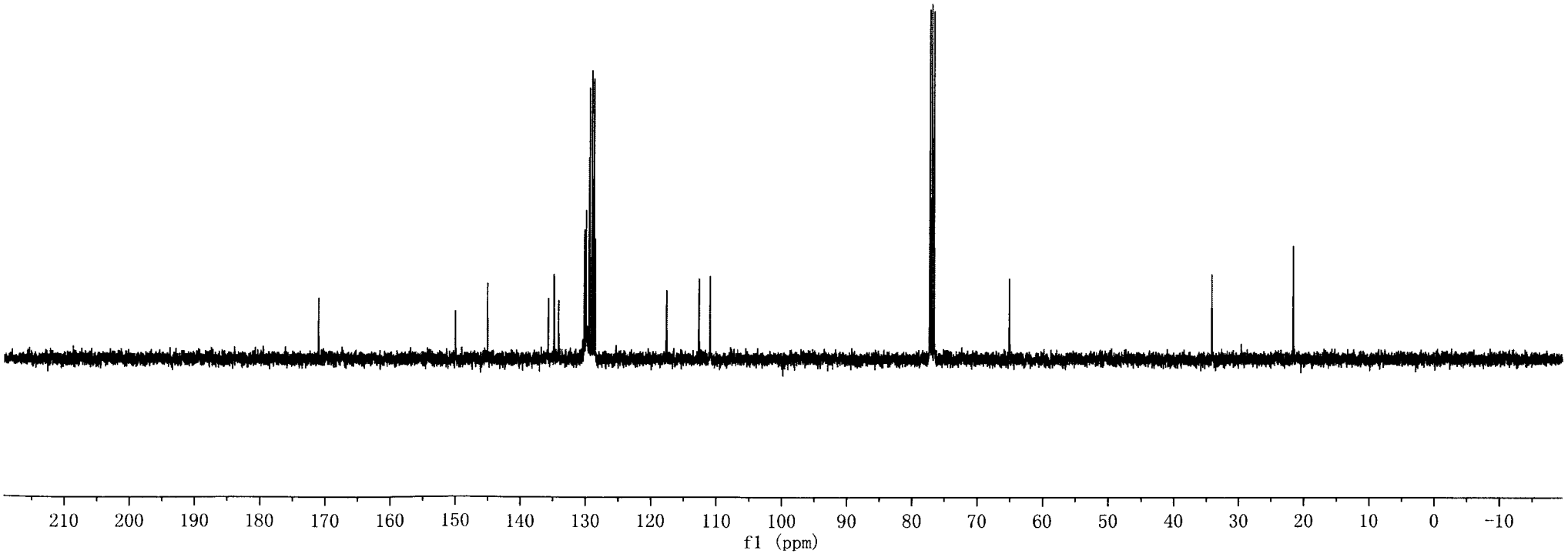

O
Ts
N
Ph
Ph
N
Cl

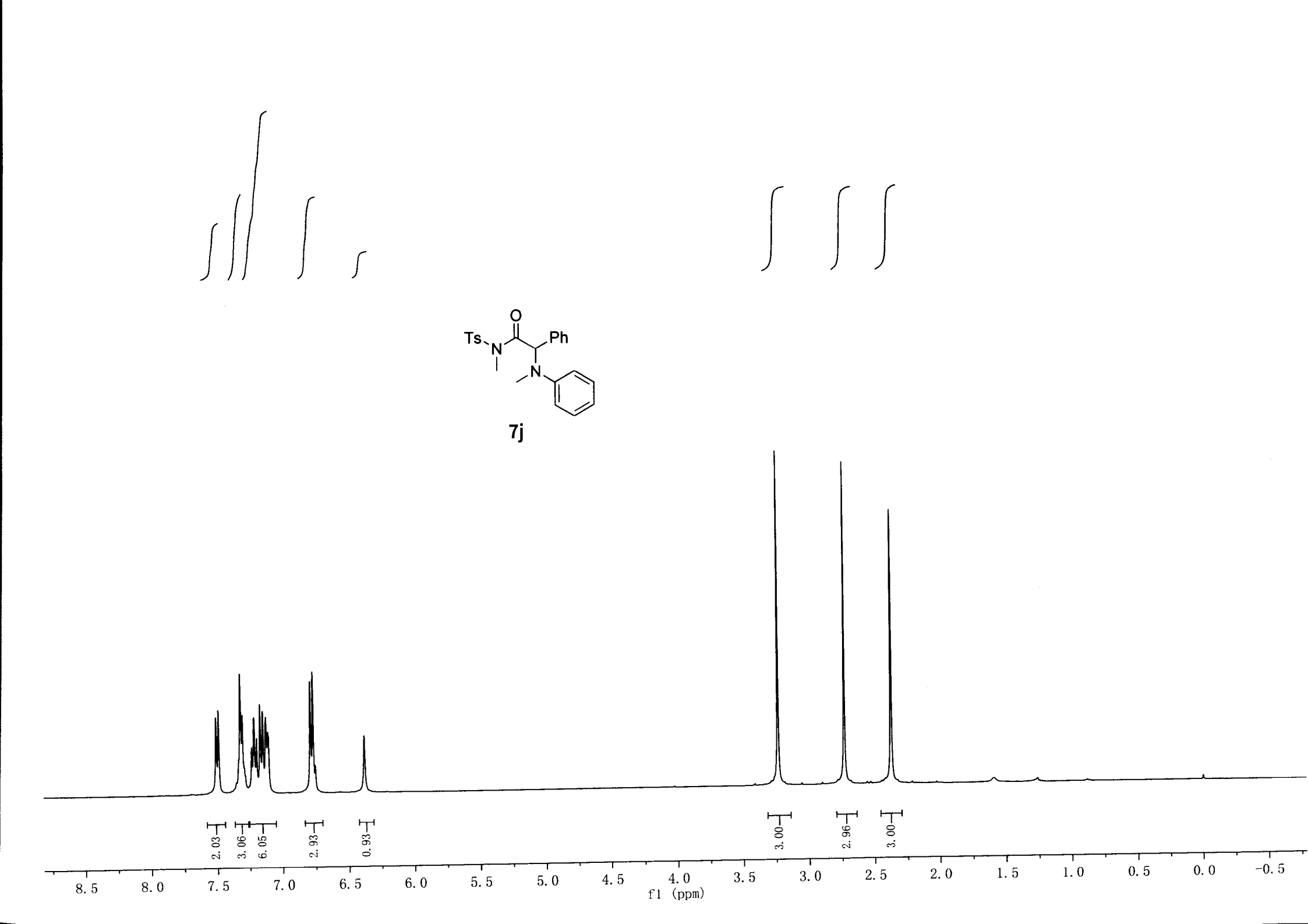
7i

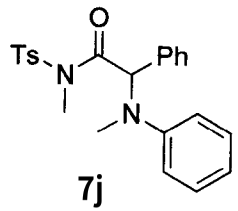

2.07
8.82
4.10
1.05
1.95
0.97
2.96
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


O
Ts
N
Ph
Ph
N
Cl

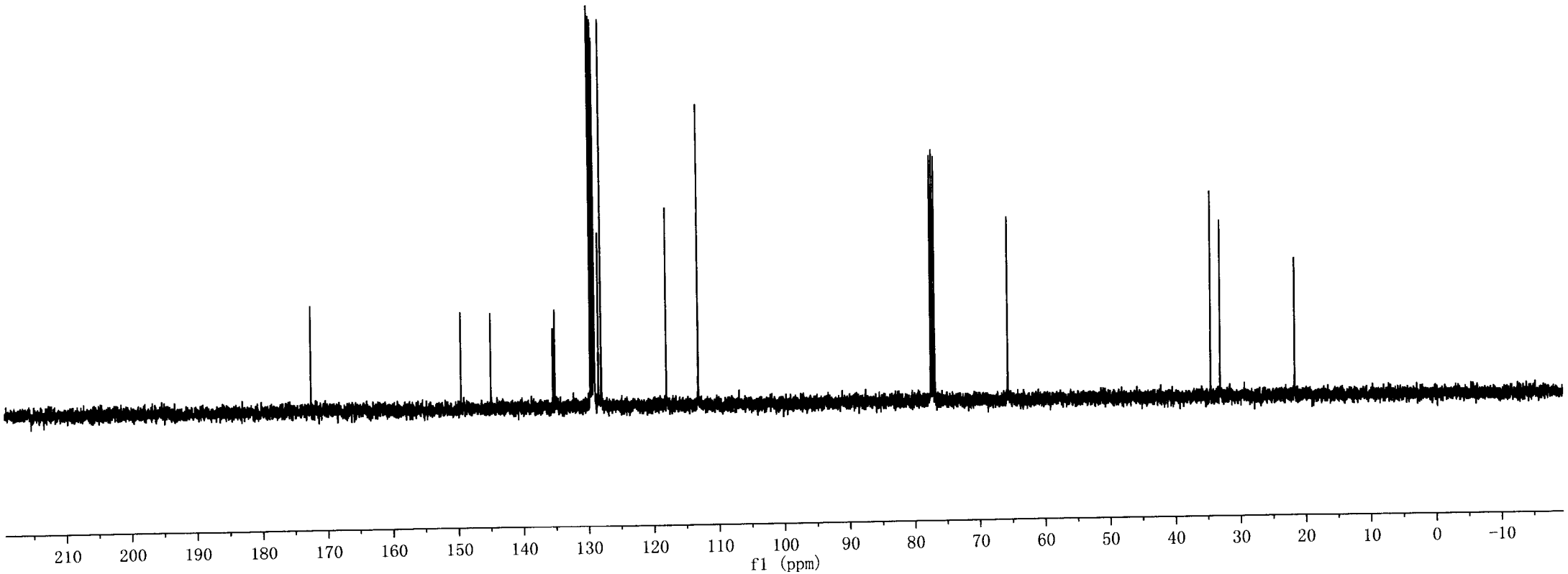
7i

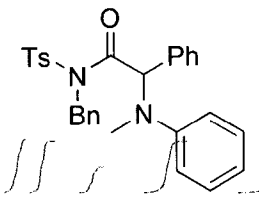

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
O
Ph
N
7j
2.03
3.06
6.05
2.93
0.93
3.00
2.96
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

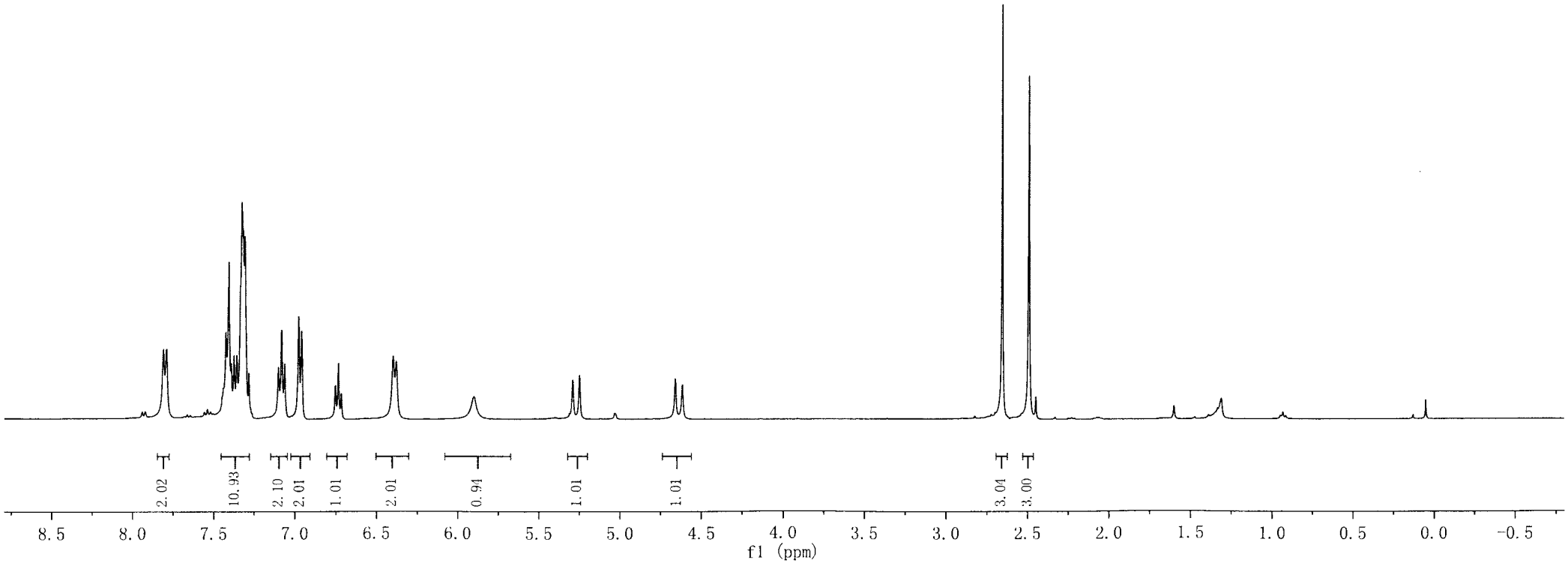

O
Ts
N
Ph
N

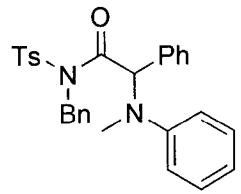
7j


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

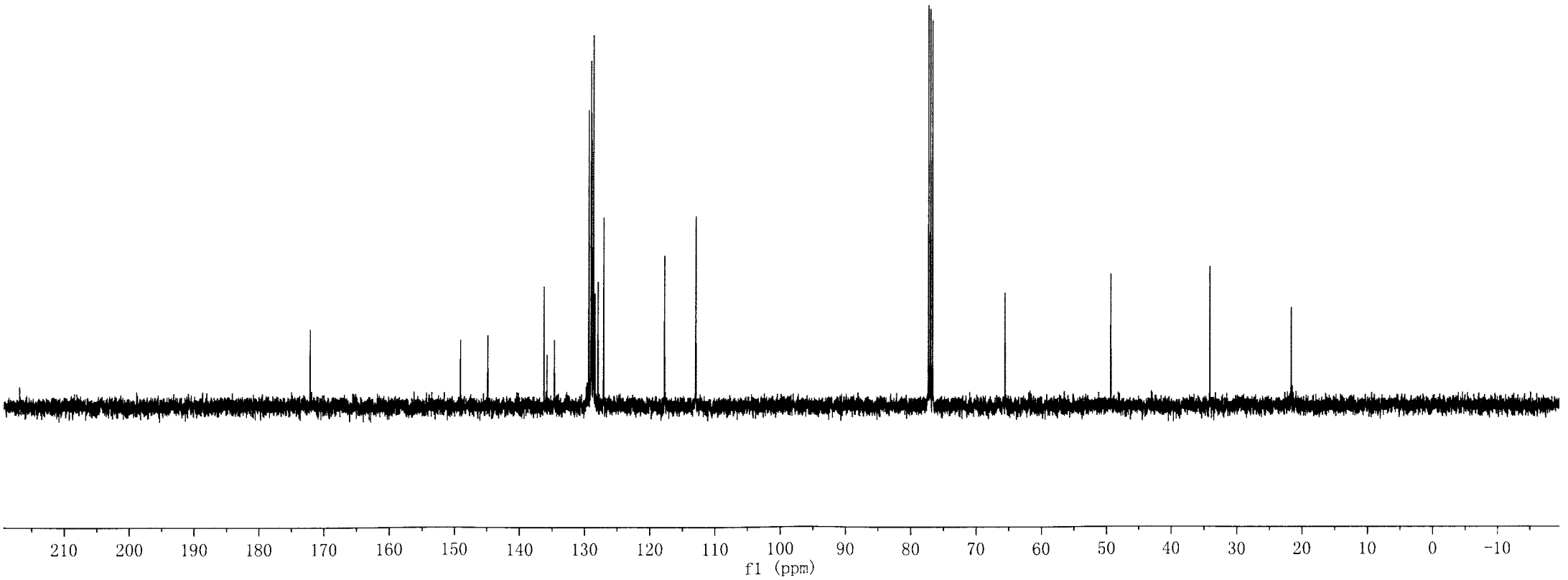

O
Ts
N
Ph
Bn
N

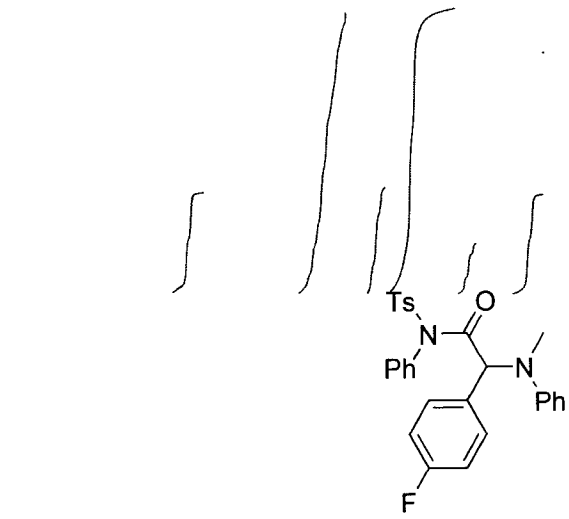
7k


2.02
10.93
2.10
2.01
1.01
2.01
0.94
1.01
1.01
3.04
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

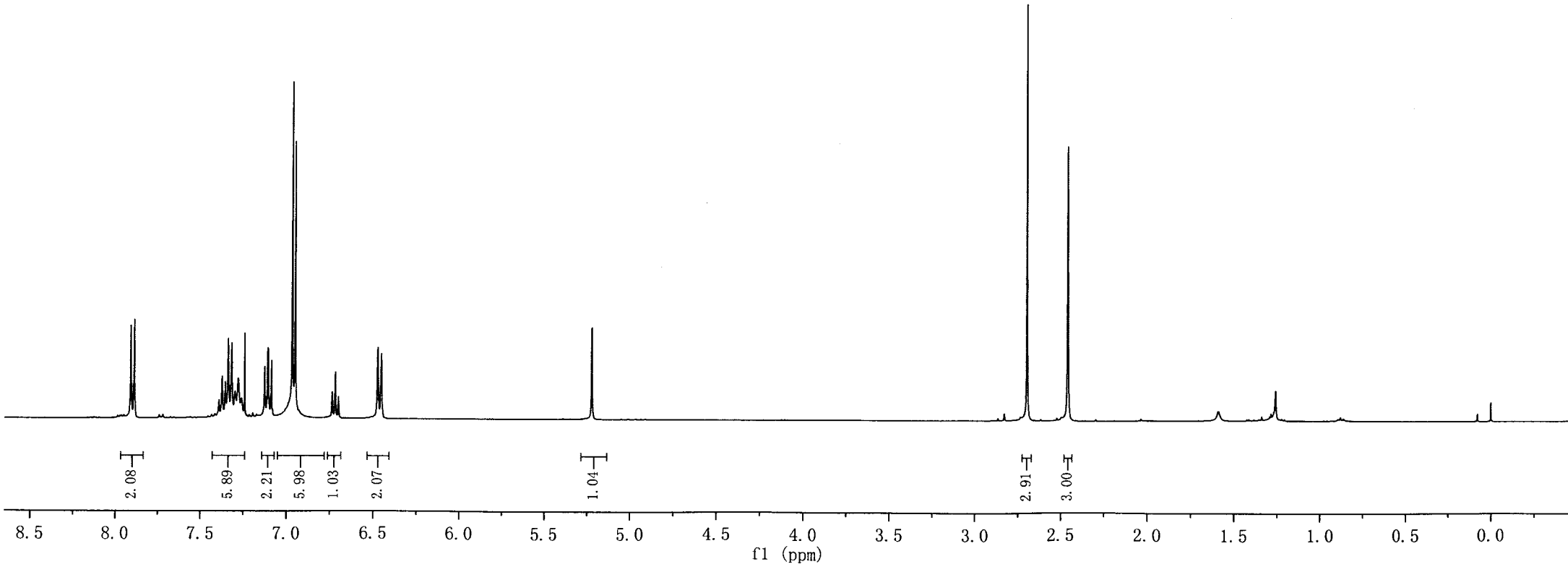

O
Ts
N
Ph
Bn
N

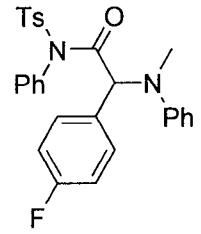
7k


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
O
Ph
N
Ph
F

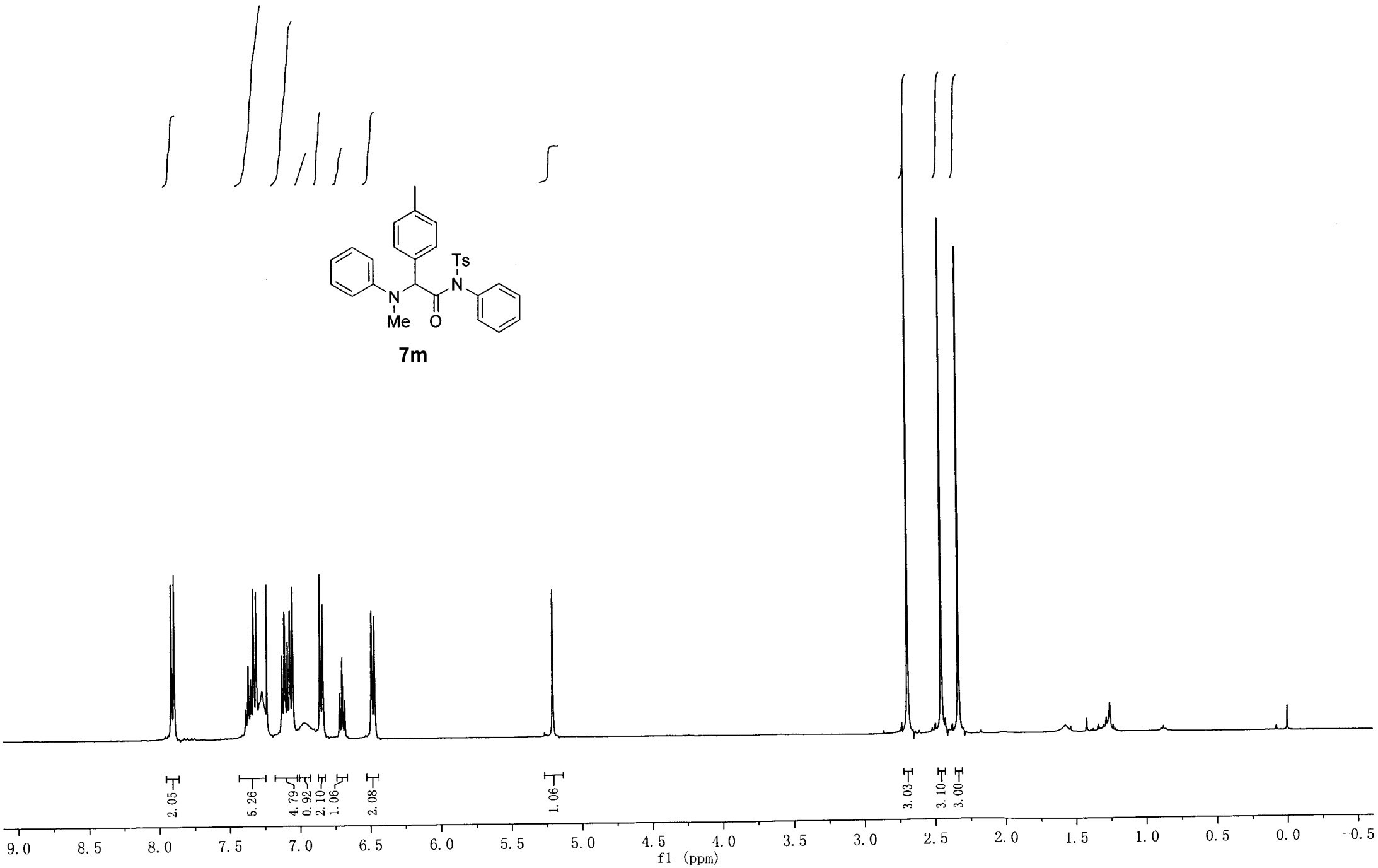
7l

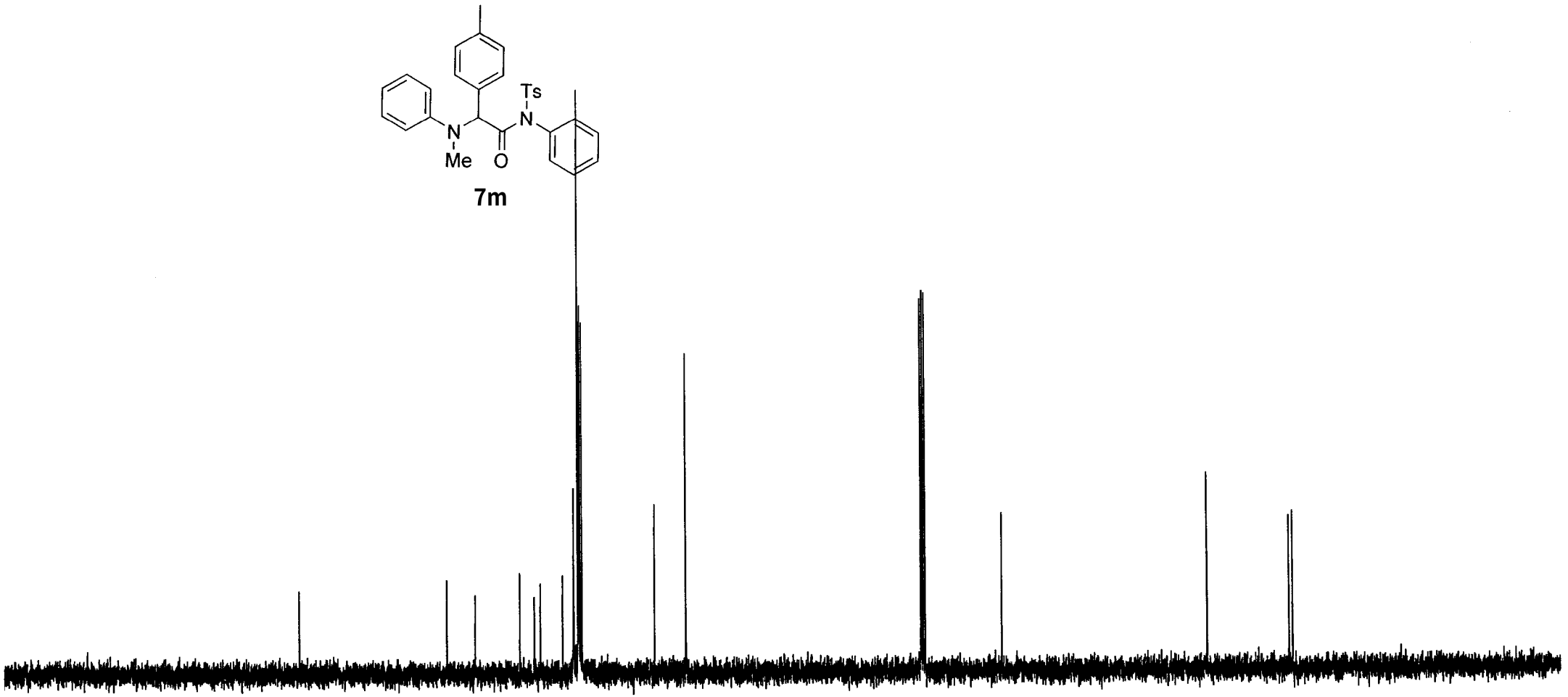

2.08
5.89
2.21
5.98
1.03
2.07
1.04
2.91
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


Ts
O
N
Ph
N
Ph
F

7l

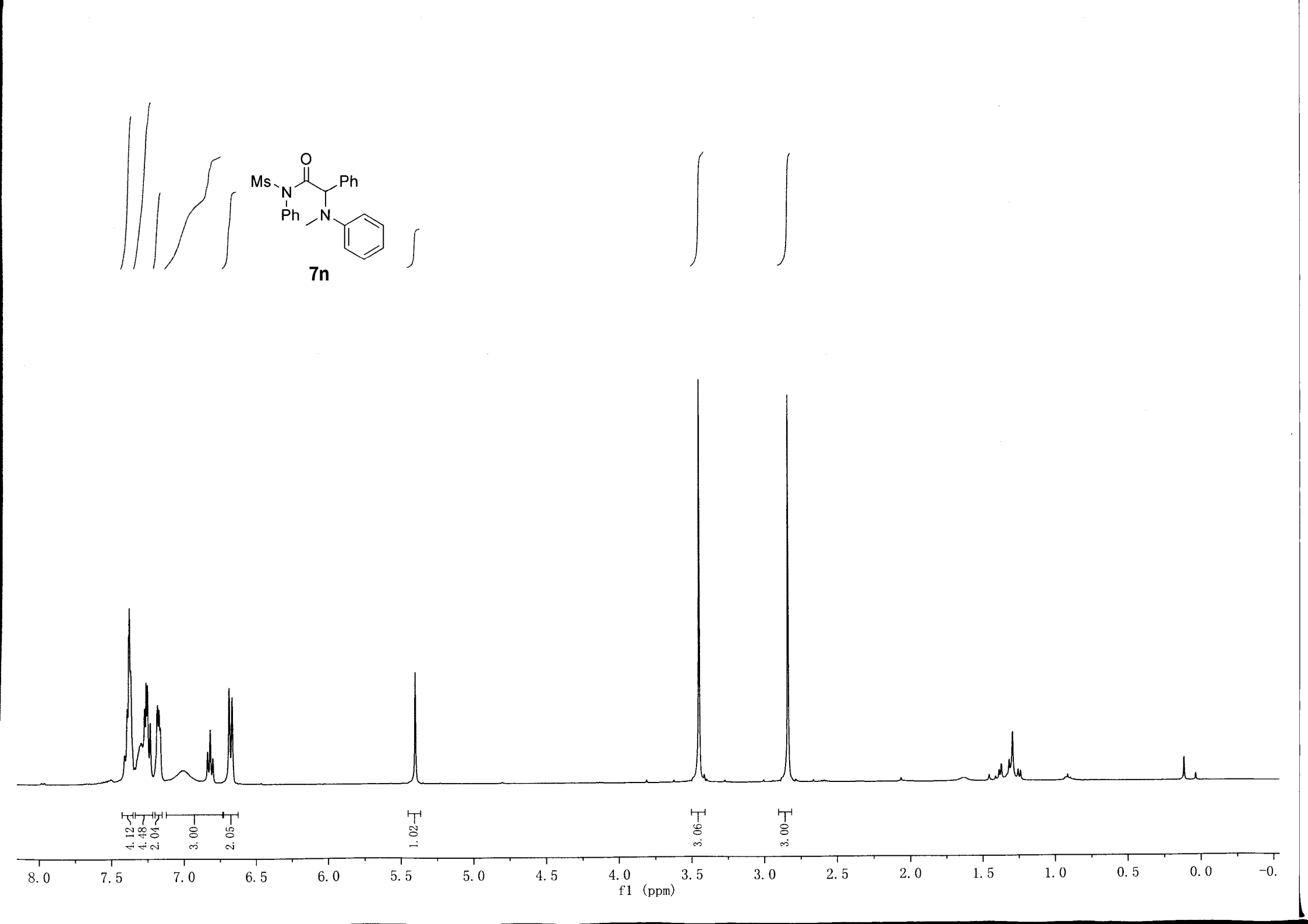
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

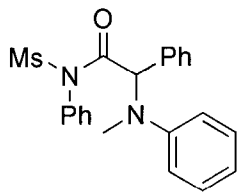

Ts
N
N
Me
O
7m
2.05
5.26
4.79
0.92
2.10
1.06
2.08
1.06
3.03
3.10
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ts
N
N
Me
O

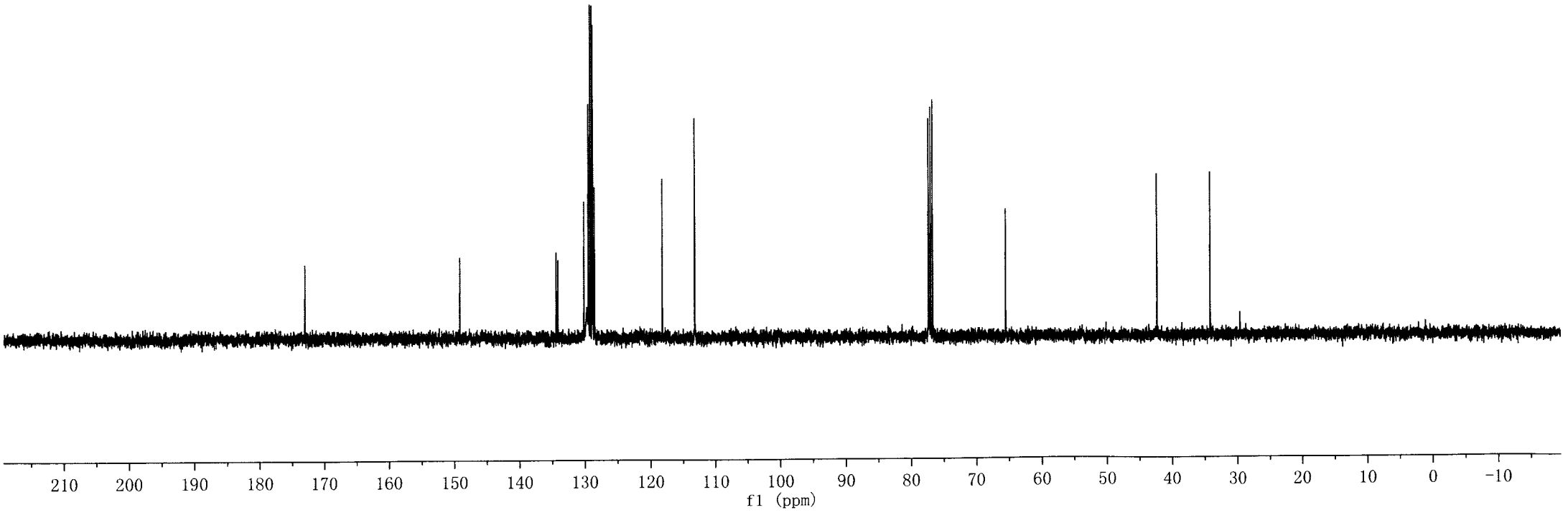
7m

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10


Ms
N
Ph
O
Ph
N
7n
4.12
4.48
2.04
3.00
2.05
1.02
3.06
3.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.
f1 (ppm)


O
Ms
N
Ph
Ph
N

7n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200
190
180
170
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140
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120
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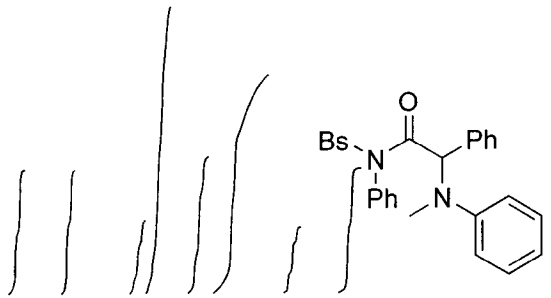
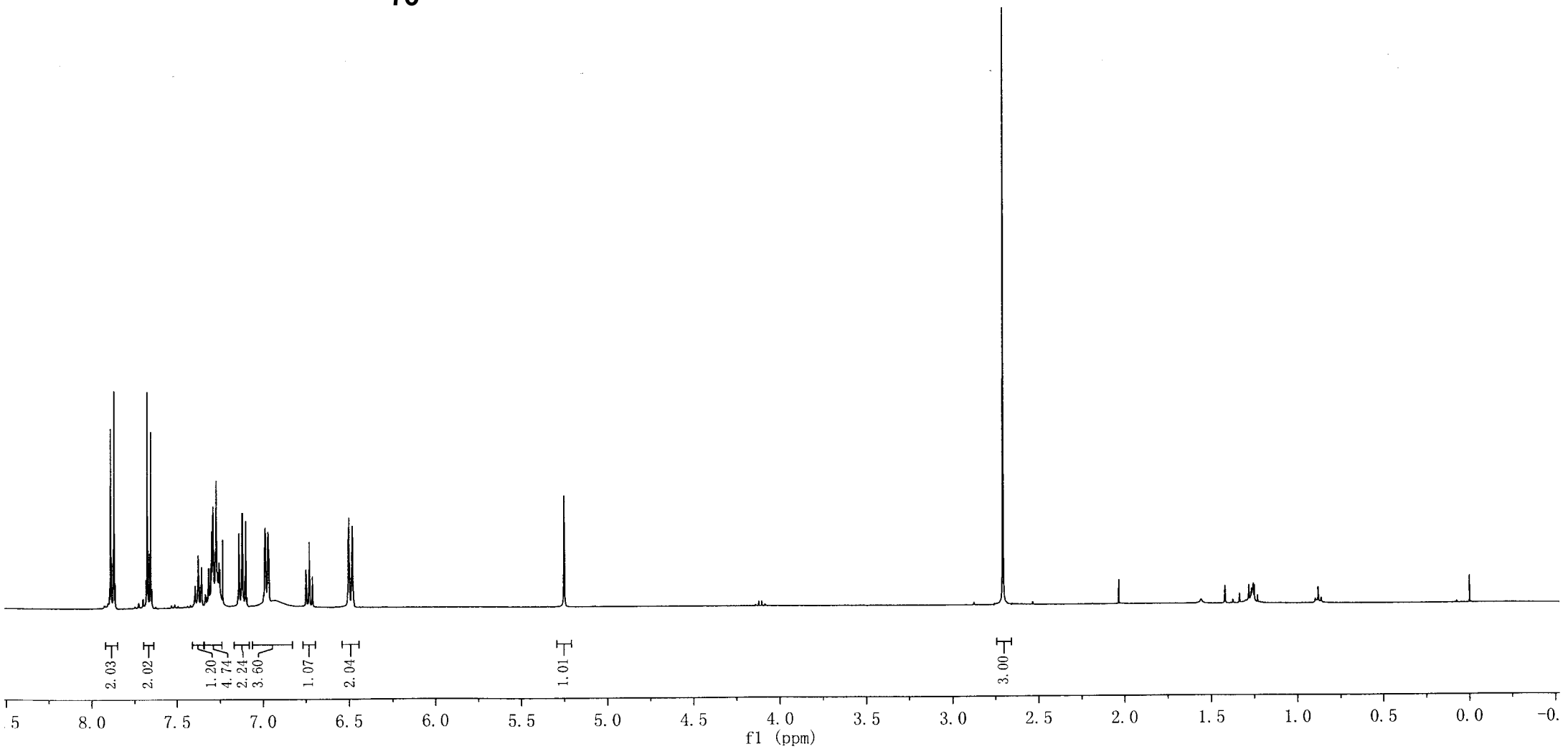
20
10
0
-10
f1 (ppm)


O
Bs
N
Ph
Ph
N

7o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2.02
1.20
4.74
2.24
3.60
1.07
2.04
1.01
3.00
8.0
7.5
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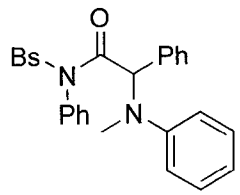
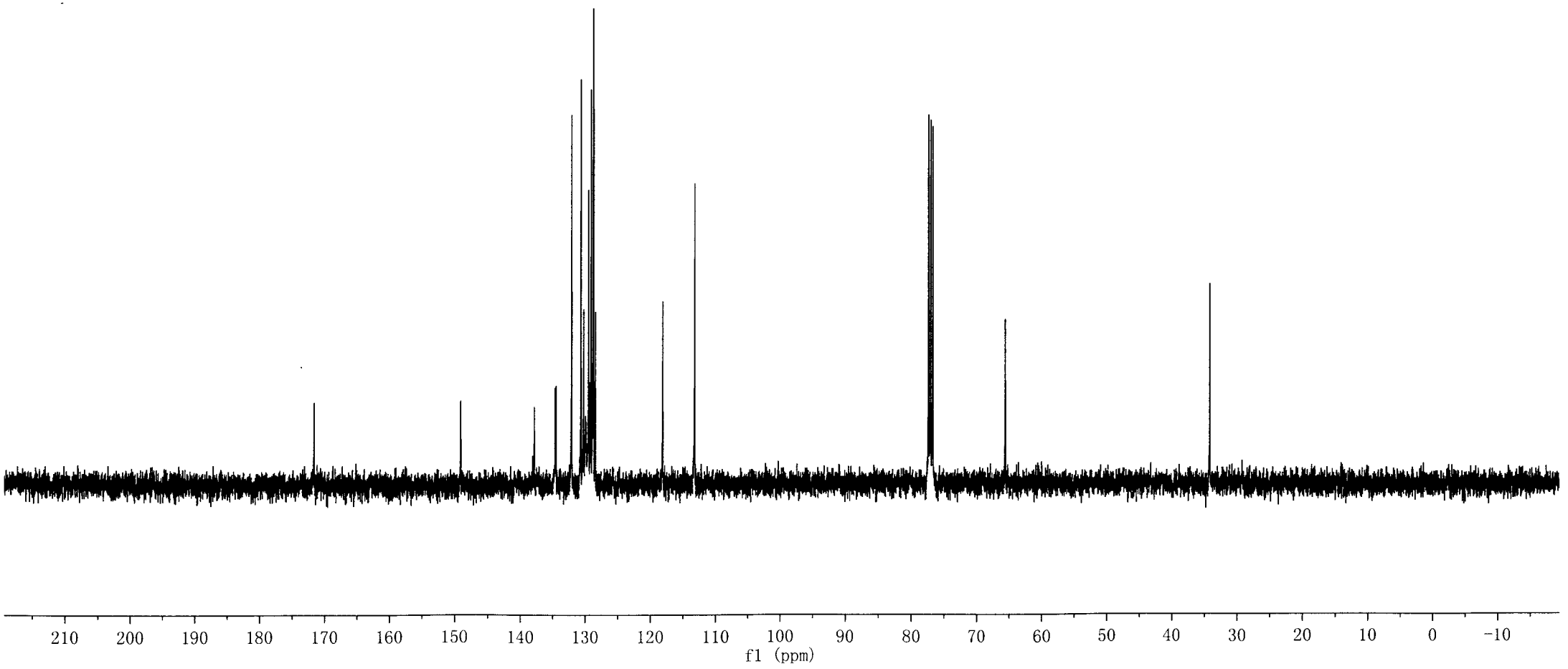
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


O
Bs
N
Ph
Ph
N

7o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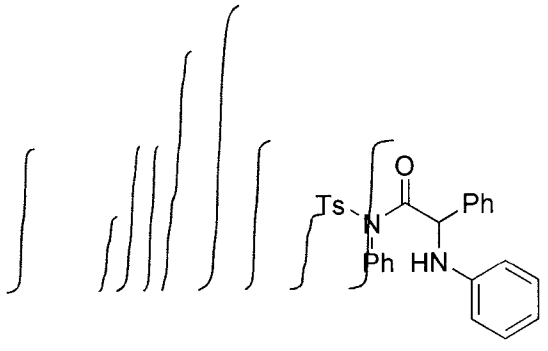
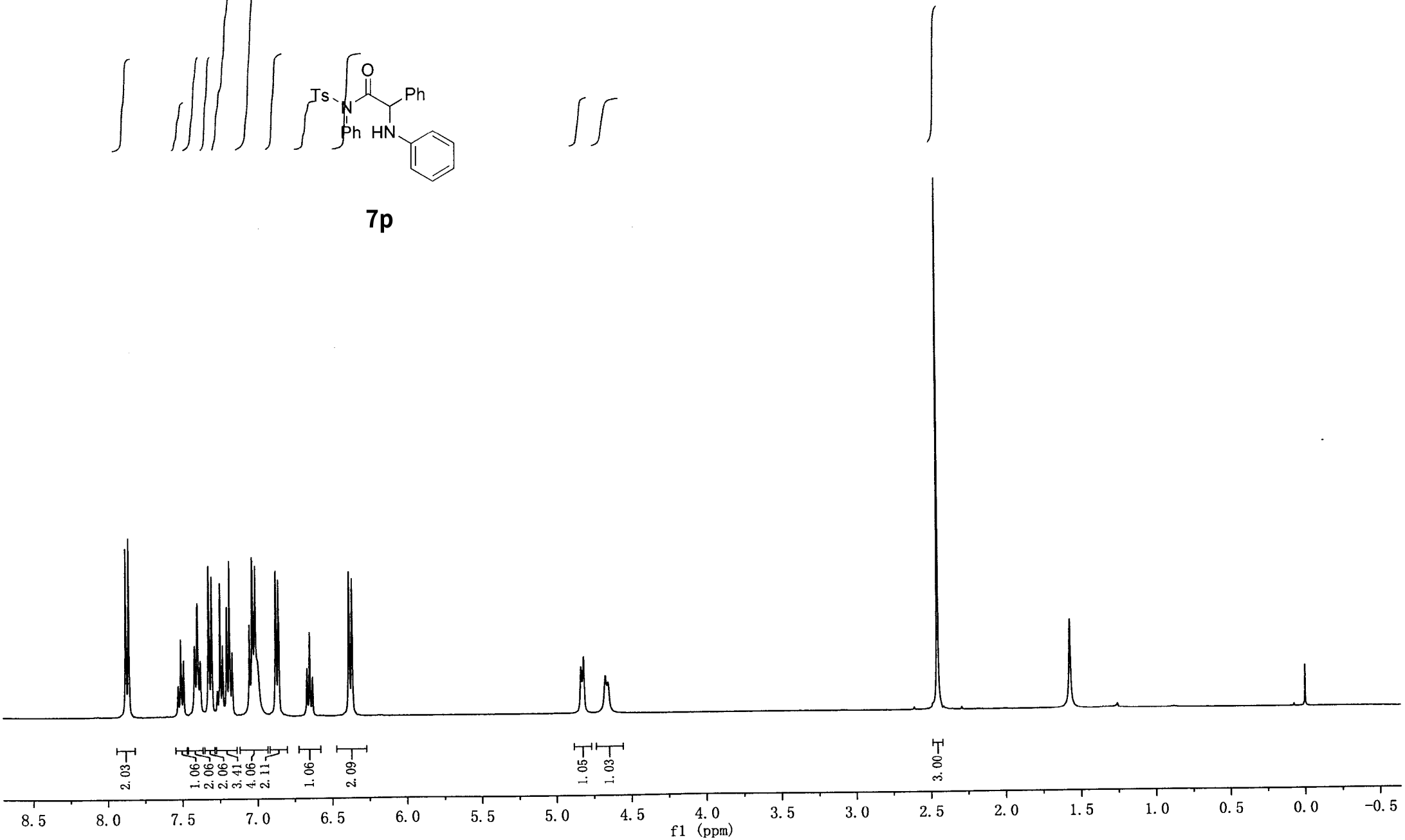
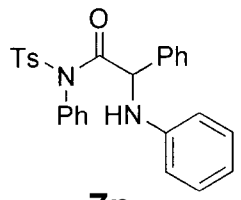
f1 (ppm)

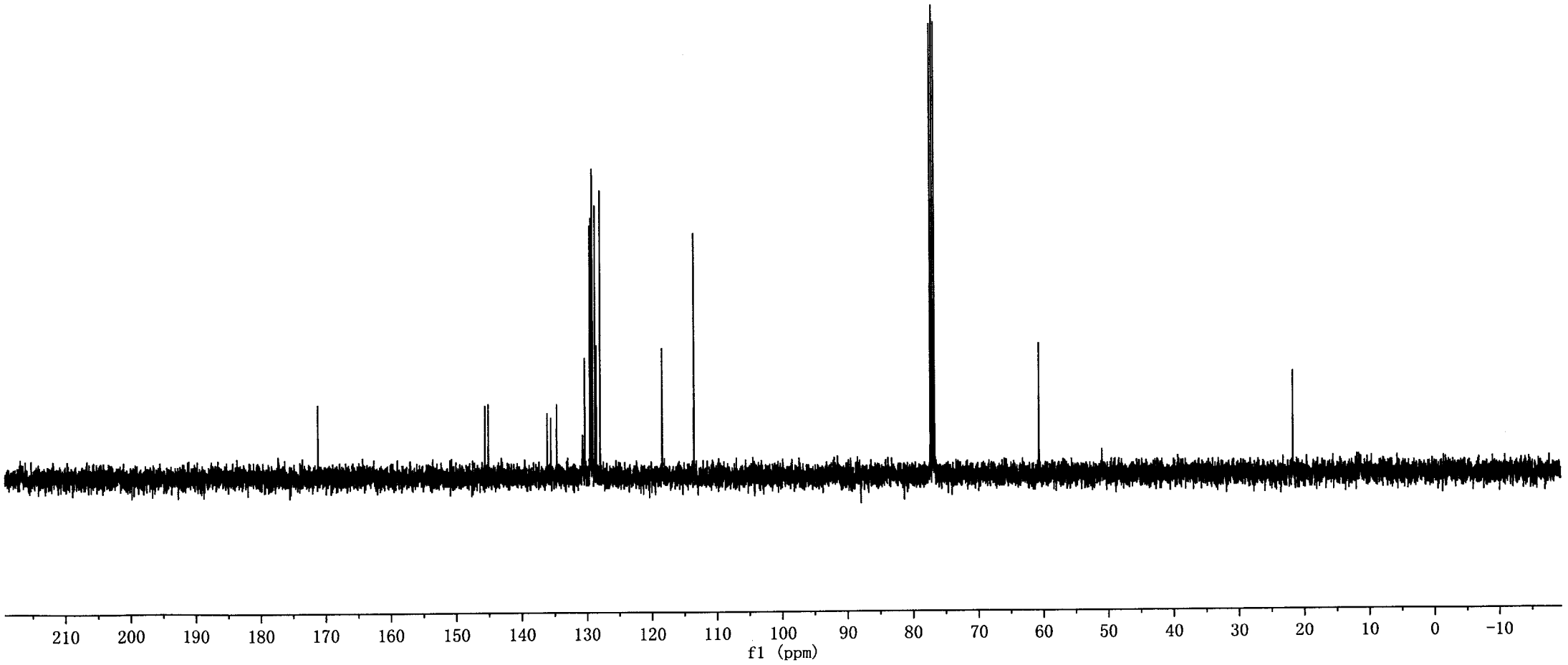

O
Ts
N
Ph
Ph
HN

7p


2.03
1.06
2.06
2.06
3.41
4.06
2.11
1.06
2.09
1.05
1.03
3.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


O
Ts
N
Ph
Ph
HN

7p


210
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f1 (ppm)