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Supporting Information

Flexible and Practical Synthesis of 3-Oxyindoles through Gold-Catalyzed Intermolecular Oxidation of *o*-Ethynylanilines

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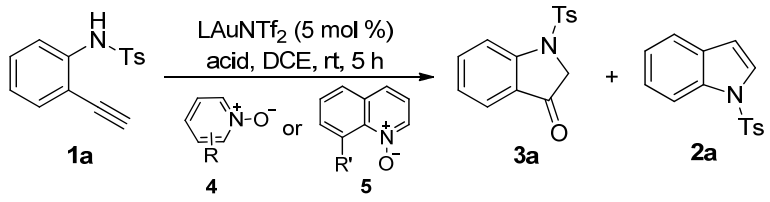
General Information. Ethyl acetate (ACS grade), hexanes (ACS grade) and anhydrous 1, 2-dichloroethane (ACS grade) were obtained commercially and used without further purification. Methylene chloride, tetrahydrofuran and diethyl ether were purified according to standard methods unless otherwise noted. 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 were recorded on a Bruker AV-400 spectrometer and a Bruker AV-500 spectrometer in chloroform-d_3. Chemical shifts are reported in ppm with the internal TMS signal at 0.0 ppm as a standard. The data is being reported as (s = singlet, d = doublet, t = triplet, m = multiplet or unresolved, brs = broad singlet, coupling constant(s) in Hz, integration).

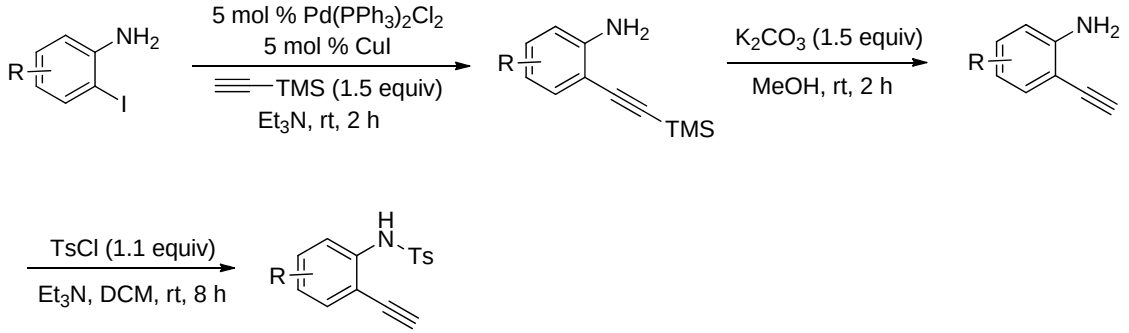
^{13}C NMR spectra were recorded on on a Bruker AV-400 spectrometer and a Bruker AV-500 spectrometer in chloroform-d_3. Chemical shifts are reported in ppm with the internal chloroform signal at 77.0 ppm as a standard.

Table 1 Other reaction condition studies[a]

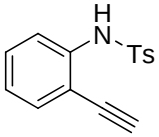


Entry	Gold catalyst	Oxidant (R)	Acid	Yield (%)[b]	
				3a	**2a**
1	5 mol % BrettPhosAuCl 5 mol % $AgNTf_2$	**5b** (R' = ^{i}Pr)	1.1 equiv MsOH	<1	87
2	5 mol % BrettPhosAuCl 5 mol % $AgSbF_6$	**5b** (R' = ^{i}Pr)	1.1 equiv MsOH	2	60
3	IPrAuNTf$_2$	**5b** (R' = ^{i}Pr)	1.1 equiv MsOH	70	20
4	XPhosAuNTf$_2$	**5b** (R' = ^{i}Pr)	1.1 equiv MsOH	68	21

Compounds **1a-1p** were prepared according to the known procedures.[1-3]



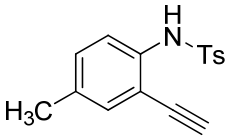
N-(2-ethynylphenyl)-4-methylbenzenesulfonamide (1a)



1a

This compound is known and the spectroscopic data match those reported.[4] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, 2H, *J* = 8.5 Hz), 7.59 (dd, 1H, *J* = 0.5 Hz, *J* = 8.5 Hz), 7.32 (dd, 1H, *J* = 1.5 Hz, *J* = 8.0 Hz), 7.29 – 7.25 (m, 2H), 7.20 (d, 2H, *J* = 8.0 Hz), 6.70 (td, 1H, *J* = 1.0 Hz, *J* = 7.5 Hz), 3.37 (s, 1H), 2.35 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.1, 138.4, 135.9, 132.4, 130.1, 129.6, 127.3, 124.1, 112.6, 84.4, 78.5, 78.1, 21.5. IR (neat): 3286 (bs), 3065, 2922, 2107, 1599, 1571, 1488, 1401, 1339, 1167, 1091, 914, 813, 759, 663, 578; MS (ES^+) Calculated for $[C_{15}H_{13}NNaO_2S]^+$: 294.1; Found: 294.1; HRMS (ES^+) Calculated for $[C_{15}H_{13}NNaO_2S]^+$: 294.0565; Found: 294.0569.

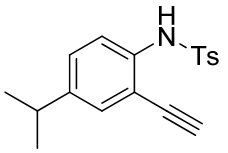
N-(2-ethynyl-4-methylphenyl)-4-methylbenzenesulfonamide (1b)



1b

This compound is known and the spectroscopic data match those reported.[4] ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, 2H, *J* = 8.4 Hz), 7.48 (d, 1H, *J* = 8.4 Hz), 7.19 (d, 2H, *J* = 8.4 Hz), 7.12 – 7.07 (m, 3H), 3.30 (s, 1H), 2.35 (s, 3H), 2.21 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.0, 136.0, 135.9, 134.2, 132.8, 131.0, 129.6, 127.4, 120.0, 113.0, 83.9, 78.8, 21.6, 20.5; IR (neat): 3285, 2926, 2104, 1598, 1495, 1337, 1166, 1090, 912, 813, 704, 667, 616, 551; MS (ES^+) Calculated for $[C_{16}H_{15}NNaO_2S]^+$: 308.1; Found: 308.1; HRMS (ES^+) Calculated for $[C_{16}H_{15}NNaO_2S]^+$: 308.0721; Found: 308.0723.

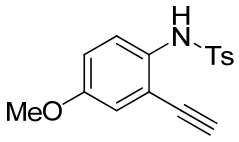
N-(2-ethynyl-4-isopropylphenyl)-4-methylbenzenesulfonamide (1c)



1c

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, 2H, *J* = 9.0 Hz), 7.53 (d, 1H, *J* = 10.5 Hz), 7.29 – 7.16 (m, 5H), 3.35 (s, 1H), 2.82 – 2.77 (m, 1H), 2.37 (s, 3H), 1.18 (d, 6H, *J* = 6.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.9, 143.9, 136.0, 130.2, 129.5, 128.4, 127.2, 119.6, 112.7, 83.7, 78.9, 33.1, 23.6, 21.4; IR (neat): 3285(bs), 2961, 2104, 1597, 1494, 1397, 1339, 1166, 1091, 908, 813, 666, 552; MS (ES^+) Calculated for $[C_{18}H_{19}NNaO_2S]^+$: 336.1; Found: 336.1; HRMS (ES^+) Calculated for $[C_{18}H_{19}NNaO_2S]^+$: 336.1034; Found: 336.1032.

N-(2-ethynyl-4-methoxyphenyl)-4-methylbenzenesulfonamide (1d)

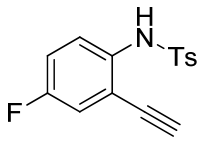


1d

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.60 (d, 2H, *J* = 8.4 Hz), 7.52 (d, 1H, *J* = 8.8 Hz), 7.18 (d, 2H, *J* = 8.0 Hz), 6.94 (s, 1H), 6.86 (dd, 1H, *J* = 2.8 Hz, *J* = 12.0 Hz), 6.81 (d, 1H, *J* = 2.8 Hz), 3.72 (s, 3H), 3.24 (s, 1H), 2.36 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.4, 143.8,

135.8, 131.4, 129.5, 127.3, 123.1, 116.7, 116.5, 115.2, 83.6, 78.6, 55.4, 21.5; IR (neat): 3296 (bs), 2942, 2110, 1590, 1416, 1262, 1221, 1147, 1103, 1028, 949, 876, 808, 752; MS (ES^+) Calculated for $[C_{16}H_{15}NNaO_3S]^+$: 324.1; Found: 324.1; HRMS (ES^+) Calculated for $[C_{16}H_{15}NNaO_3S]^+$: 324.0670; Found: 324.0678.

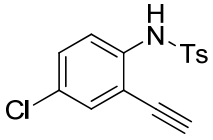
N-(2-ethynyl-4-fluorophenyl)-4-methylbenzenesulfonamide (1e)



1e

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65 (d, 2H, *J* = 8.5 Hz), 7.62 – 7.59 (m, 1H), 7.23 (d, 2H, *J* = 8.5 Hz), 7.10 (s, 1H), 7.06 – 7.02 (m, 2H), 3.37 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 159.0 (d, *J* = 243.8 Hz), 144.2, 135.7, 134.7, 129.6, 127.3, 122.4 (d, *J* = 8.5 Hz), 118.8 (d, *J* = 24.5 Hz), 117.4 (d, *J* = 22.5 Hz), 115.1 (d, *J* = 9.8 Hz), 85.0, 77.6, 21.5; IR (neat): 3291(bs), 3071, 2920, 2110, 1598, 1492, 1394, 1338, 1277, 1165, 1090, 895, 813, 667, 553; MS (ES^+) Calculated for $[C_{15}H_{12}FNNaO_2S]^+$: 312.1; Found: 312.1; HRMS (ES^+) Calculated for $[C_{15}H_{12}FNNaO_2S]^+$: 312.0470; Found: 312.0472.

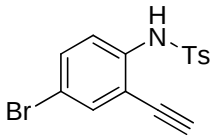
N-(4-chloro-2-ethynylphenyl)-4-methylbenzenesulfonamide (1f)



1f

^{1}H NMR (500 MHz, $CDCl_3$) δ 7. 67 (d, 2H, *J* = 8.5Hz), 7.54 (d, 1H, *J* = 8.5 Hz), 7.29 (d, 1H, *J* = 1.0 Hz), 7.26 – 7.19 (m, 4H), 3.40 (s, 1H), 2.37 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.3, 137.1, 135.7, 132.0, 130.3, 129.7, 129.5, 127.3, 120.8, 114.3, 85.4, 77.4, 21.5; IR (neat): 3287(bs), 2923, 2107, 1597, 1483, 1415, 1389, 1338, 1166, 1090, 858, 669, 549; MS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_2S]^+$: 328.0; Found: 328.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_2S]^+$: 328.0175; Found: 328.0179.

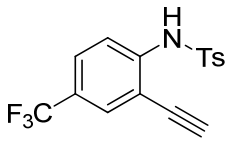
N-(4-bromo-2-ethynylphenyl)-4-methylbenzenesulfonamide (1g)



1g

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (d, 2H, *J* = 8.0 Hz), 7.47 – 7.44 (m, 3H), 7.30 – 7.20 (m, 3H), 3.42 (s, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.3, 137.5, 135.6, 134.8, 133.1, 129.7, 127.2, 120.8, 116.7, 114.5, 85.6, 77.1, 21.5; IR (neat): 3286(bs), 2104, 1597, 1481, 1385, 1337, 1166, 10902, 843, 663, 601, 548; MS (ES^+) Calculated for $[C_{15}H_{12}BrNNaO_2S]^+$: 372.0; Found: 372.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}BrNNaO_2S]^+$: 371.9670; Found: 371.9672.

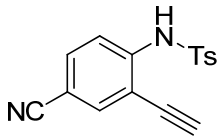
N-(2-ethynyl-4-(trifluoromethyl)phenyl)-4-methylbenzenesulfonamide (1h)



1h

This compound is known and the spectroscopic data match those reported.[4] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.75 (d, 2H, *J* = 8.0 Hz), 7.68 (d, 1H, *J* = 8.5 Hz), 7.61 (s, 1H), 7.50 (d, 2H, *J* = 9.5 Hz), 7.27 (d, 2H, *J* = 8.0 Hz), 3.51 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.7, 141.4, 135.7, 129.9, 129.7 (dd, *J* = 15.0 Hz, *J* = 30.0 Hz), 127.3, 127.0 (dd, *J* = 15.0 Hz, *J* = 30.0 Hz), 126.0 (d, *J* = 33.5 Hz), 123.4 (d, *J* = 270.0 Hz), 117.9, 112.2, 86.0, 77.3, 21.5; IR (neat): 3294 (bs), 2926, 2113, 1614, 1503, 1432, 1401, 1330, 1292, 1167, 1112, 1090, 918, 867, 663, 548; MS (ES^+) Calculated for $[C_{16}H_{12}F_3NNaO_2S]^+$: 362.0; Found: 362.0; HRMS (ES^+) Calculated for $[C_{16}H_{12}F_3NNaO_2S]^+$: 362.0439; Found: 362.0439.

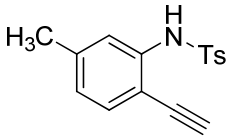
N-(4-cyano-2-ethynylphenyl)-4-methylbenzenesulfonamide (1i)



1i

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, 2H, *J* = 2.4 Hz), 7.65 (d, 2H, *J* = 8.8 Hz), 7.54 (t, 2H, *J* = 13.6 Hz), 7.28 (d, 2H, *J* = 8.4 Hz), 3.57 (s, 1H), 2.40 (s, 3H); ^{13}C NMR (100MHz, $CDCl_3$) δ 145.0, 142.2, 136.3, 135.4, 133.6, 130.0, 127.3, 117.7, 117.5, 112.4, 107.2, 86.9, 76.4, 21.6; IR (neat): 3282(bs), 2922, 2231, 1604, 1492, 1400, 1345, 1168, 1090, 913, 743, 662, 547; MS (ES^+) Calculated for $[C_{16}H_{12}N_2NaO_2S]^+$: 319.1; Found: 319.1; HRMS (ES^+) Calculated for $[C_{16}H_{12}N_2NaO_2S]^+$: 319.0517; Found: 319.0519.

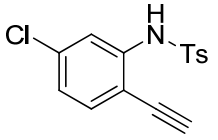
N-(2-ethynyl-5-methylphenyl)-4-methylbenzenesulfonamide (1j)



1j

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, 2H, *J* = 8.5 Hz), 7.42 (s, 1H), 7.25 – 7.13 (m, 4H), 6.82 (d, 1H, *J* = 7.5 Hz), 3.31 (s, 1H), 2.37 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.0, 140.8, 138.3, 136.1, 132.2, 129.6, 127.3, 125.1, 120.1, 83.6, 78.8, 21.8, 21.5; IR (neat): 3292 (bs), 2924, 2849, 2113, 1609, 1577, 1471, 1438, 1246, 1085, 779; MS (ES^+) Calculated for $[C_{16}H_{15}NNaO_2S]^+$: 308.1; Found: 308.1; HRMS (ES^+) Calculated for $[C_{16}H_{15}NNaO_2S]^+$: 308.0721; Found: 308.0724.

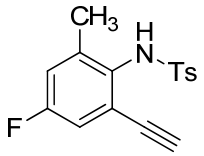
N-(5-chloro-2-ethynylphenyl)-4-methylbenzenesulfonamide (1k)



1k

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, 2H, *J* = 8.0 Hz), 7.62 (d, 1H, *J* = 1.6 Hz), 7.28 – 7.23 (m, 4H), 6.98 (dd, 1H, *J* = 1.6 Hz, *J* = 8.0 Hz), 3.43 (s, 1H), 2.39 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.5, 139.5, 136.1, 135.6, 133.3, 129.8, 127.3, 124.3, 119.0, 110.7, 85.3, 77.7, 21.6; IR (neat): 3292(bs), 2923, 2104, 1596, 1562, 1488, 1394, 1338, 1165, 1091, 935, 813, 665, 548; MS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_2S]^+$: 328.0; Found: 328.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_2S]^+$: 328.0175; Found: 328.0177.

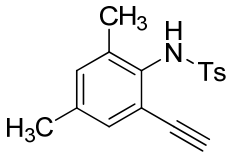
N-(2-ethynyl-4-fluoro-6-methylphenyl)-4-methylbenzenesulfonamide (1l)



1l

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, 2H, *J* = 8.0 Hz), 7.21 (d, 2H, *J* = 8.4 Hz), 6.99 (dd, 1H, *J* = 2.8 Hz, *J* = 8.8 Hz), 6.88 (d, 1H, *J* = 2.8 Hz, *J* = 8.0 Hz), 6.30 (s, 1H), 2.79 (s, 1H), 2.49 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.4 (d, *J* = 246.0 Hz), 143.9, 141.2 (d, *J* = 9.0 Hz), 136.4, 132.1 (d, *J* = 3.0 Hz), 129.4, 127.9, 122.2 (d, *J* = 11.0 Hz), 119.3 (d, *J* = 22.0 Hz), 116.9 (d, *J* =24.0 Hz), 82.7, 78.4 (d, *J* = 3.0 Hz), 21.6, 19.7 (d, *J* = 1.0 Hz); IR (neat): 3270(bs), 2926, 2107, 1598, 1466, 1332, 1163, 1091, 867, 813, 672, 556; MS (ES^+) Calculated for $[C_{16}H_{14}FNNaO_2S]^+$: 326.1; Found: 326.1; HRMS (ES^+) Calculated for $[C_{16}H_{14}FNNaO_2S]^+$: 326.0627; Found: 326.0625.

N-(2-ethynyl-4,6-dimethylphenyl)-4-methylbenzenesulfonamide (1m)

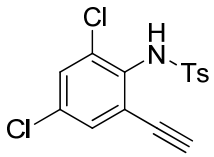


1m

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (d, 2H, *J* = 8.4 Hz), 7.18 (d, 2H, *J* = 8.0 Hz), 7.08 (s, 1H), 6.98 (s, 1H), 6.28 (s, 1H), 2.71 (s, 1H), 2.45 (s, 3H), 2.40 (s, 3H), 2.25 (s, 3H); ^{13}C

NMR (100 MHz, $CDCl_3$) δ 143.6, 138.1, 137.0, 136.6, 133.4, 133.2, 130.9, 129.3, 127.9, 120.3, 81.4, 79.6, 21.6, 20.7, 19.4; IR (neat): 3275(bs), 2924, 2104, 1598, 1470, 1389, 1331, 1164, 1091, 672, 589; MS (ES^+) Calculated for $[C_{17}H_{17}NNaO_2S]^+$: 322.1; Found: 322.1; HRMS (ES^+) Calculated for $[C_{17}H_{17}NNaO_2S]^+$: 322.0878; Found: 322.0878.

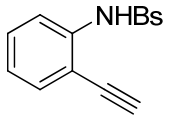
N-(2,4-dichloro-6-ethynylphenyl)-4-methylbenzenesulfonamide (1n)



1n

^1H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, 2H, J = 6.4 Hz), 7.41 – 7.31 (m, 2H), 7.28 – 7.24 (m, 2H), 6.37 (s, 1H), 3.11 (s, 1H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.2, 137.2, 134.2, 133.8, 133.4, 131.9, 130.8, 129.6, 127.7, 124.6, 84.2, 78.2, 21.6; IR (neat): 3266(bs), 2923, 2115, 1596, 1551, 1441, 1334, 1159, 1090, 742, 666; MS (ES^+) Calculated for $[C_{15}H_{11}Cl_2NNaO_2S]^+$: 362.0; Found: 362.0; HRMS (ES^+) Calculated for $[C_{15}H_{11}Cl_2NNaO_2S]^+$: 361.9785; Found: 361.9787.

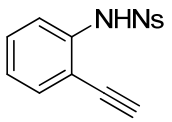
4-bromo-N-(2-ethynylphenyl)benzenesulfonamide (1o)



1o

^1H NMR (400 MHz, $CDCl_3$) δ 7.67 – 7.51 (m, 5H), 7.35 – 7.29 (m, 3H), 7.05 (t, 1H, J = 8.4 Hz), 3.37 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 137.8, 137.7, 132.6, 132.2, 130.1, 128.7, 128.2, 124.7, 120.0, 113.2, 84.6, 78.3; IR (neat): 3453(bs), 3285, 1574, 1488, 1400, 1341, 1170, 1089, 1068, 915, 739, 607; MS (ES^+) Calculated for $[C_{14}H_{10}BrNNaO_2S]^+$: 358.0; Found: 358.0; HRMS (ES^+) Calculated for $[C_{14}H_{10}BrNNaO_2S]^+$: 357.9513; Found: 357.9519.

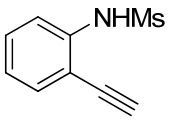
2-Nitro-N-(2-ethynylphenyl)benzenesulfonamide (1p)



1p

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.96 (dd, 1H, *J* = 1.2 Hz, *J* = 7.6 Hz), 7.89 (d, 1H, *J* = 1.2 Hz, *J* = 8.0 Hz), 7.74 – 7.70 (m, 2H), 7.66 – 7.62 (m, 1H), 7.38 – 7.34 (m, 2H), 7.12 – 7.05 (m, 1H), 3.34 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 137.7, 134.1, 133.0, 132.8, 132.7, 131.2, 130.4, 130.1, 125.6, 125.1, 120.9, 113.9, 84.6, 78.0; IR (neat): 3314, 3270, 1540, 1480, 1390, 1362, 1340, 1174, 854, 761, 730; MS (ES^+) Calculated for $[C_{14}H_{10}N_2NaO_4S]^+$: 325.0; Found: 325.0; HRMS (ES^+) Calculated for $[C_{14}H_{10}N_2NaO_4S]^+$: 325.0259; Found: 325.0258.

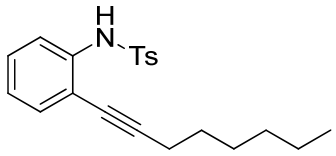
N-(2-ethynylphenyl)methanesulfonamide (1q)



1q

This compound is known and the spectroscopic data match those reported.[5] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (dd, 1H, *J* = 0.5 Hz, *J* = 8.0 Hz), 7.54 (dd, 1H, *J* = 1.5 Hz, *J* = 8.0 Hz), 7.40 – 7.36 (m, 1H), 7.15 – 7.11 (m, 1H), 7.10 (s, 1H), 3.53 (s, 1H), 3.03 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 138.4, 132.7, 130.4, 124.5, 119.6, 113.0, 84.8, 78.5, 39.6; IR (neat): 3275, 2920, 2844, 2107, 1488, 1395, 1331, 1154, 967, 750; MS (ES^+) Calculated for $[C_9H_9NNaO_2S]^+$: 218.0; Found: 218.0; HRMS (ES^+) Calculated for $[C_9H_9NNaO_2S]^+$: 218.0252; Found: 218.0254.

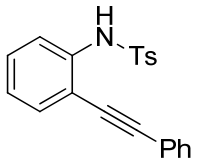
4-methyl-N-(2-(oct-1-ynyl)phenyl)benzenesulfonamide (1r)



1r

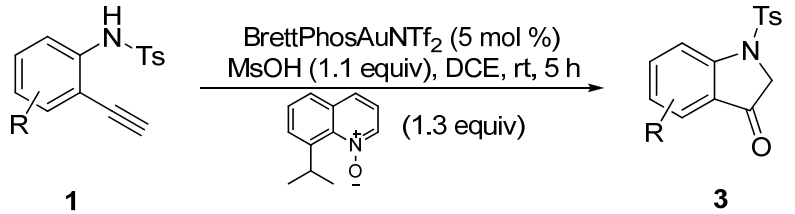
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, 2H, *J* = 8.5 Hz), 7.55 (d, 1H, *J* = 9.0 Hz), 7.24 – 7.17 (m, 5H), 7.00 – 6.95 (m, 1H), 2.40 (t, 2H, *J* = 7.0 Hz), 2.35 (s, 3H), 1.61 – 1.55 (m, 2H), 1.46 – 1.41 (m, 2H), 1.36 – 1.30 (m, 4H), 0.92 (t, 3H, *J* = 7.0 Hz); ^{13}C NMR (125 MHz, $CDCl_3$) δ 143.8, 137.5, 136.2, 131.8, 129.5, 128.7, 127.2, 124.1, 119.3, 114.9, 97.9, 75.3, 31.3, 28.6, 28.5, 22.5, 21.4, 19.5, 14.0; IR (neat): 2927, 2855, 1490, 1399, 1341, 1167, 1091, 754, 665; MS (ES^+) Calculated for $[C_{21}H_{25}NNaO_2S]^+$: 378.2; Found: 378.2; HRMS (ES^+) Calculated for $[C_{21}H_{25}NNaO_2S]^+$: 378.1504; Found: 378.1504.

4-methyl-N-(2-(phenylethynyl)phenyl)benzenesulfonamide (1s)



1s

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, 2H, *J* = 6.8 Hz), 7.66 (d, 1H, *J* = 6.8 Hz), 7.52 – 7.48 (m, 2H), 7.43 – 7.38 (m, 4H), 7.33 – 7.28 (m, 2H), 7.18 (d, 2H, *J* = 6.4 Hz), 7.10 – 7.07 (m, 1H), 2.35 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 143.9, 137.5, 136.1, 131.9, 131.4, 131.5, 129.5, 129.0, 128.5, 127.2, 127.1, 124.5, 121.9, 120.3, 114.6, 96.1, 83.7, 21.4; IR (neat): 3323, 3237, 3058, 2921, 1596, 1496, 1482, 1399, 1167, 1091, 915, 756, 690; MS (ES^+) Calculated for $[C_{21}H_{17}NNaO_2S]^+$: 370.1; Found: 370.1; HRMS (ES^+) Calculated for $[C_{21}H_{17}NNaO_2S]^+$: 370.0878; Found: 370.0880.

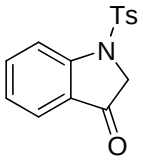


1 **3**

General procedure:

8-Isopropylquinoline *N*-oxide (73.0 mg, 0.39 mmol), MsOH (3.0 mL, 0.11 M in DCE), and BrettPhosAuNTf$_2$ (15.3 mg, 0.015 mmol) were added in this order to a solution of the *o*-ethynylanilines **1** (0.30 mmol) in DCE (3.0 mL) at room temperature. The reaction mixture was stirred at rt and the progress of the reaction was monitored by TLC. The reaction typically took 5 h. Upon completion, the reaction diluted with DCM (30 mL) and washed with saturated aqueous $NaHCO_3$ (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 products **3**.

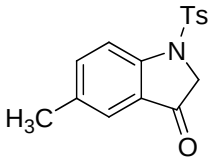
1-tosylindolin-3-one (3a)



3a

Compound **3a** was prepared in 91% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, 1H, *J* = 8.4 Hz), 7.71 (d, 2H, *J* = 8.4 Hz), 7.66 (dd, 2H, *J* = 7.6 Hz, *J* = 12.8 Hz), 7.27 (d, 2H, *J* = 7.2 Hz), 7.18 (t, 1H, *J* = 7.6 Hz), 4.13 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.7, 153.5, 145.1, 137.2, 133.4, 130.1, 127.1, 124.9, 124.3, 124.0, 115.9, 56.0, 21.5. IR (neat): 2914, 1721(s), 1604, 1461, 1364, 1169, 1112, 1090, 913, 748, 663; MS (ES$^+$) Calculated for $[C_{15}H_{13}NNaO_3S]^+$: 310.1; Found: 310.1; HRMS (ES$^+$) Calculated for $[C_{15}H_{13}NNaO_3S]^+$: 310.0514; Found: 310.0518.

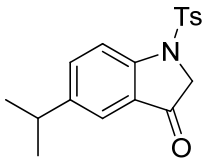
5-methyl-1-tosylindolin-3-one (3b)



3b

Compound **3b** was prepared in 87% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (s, 1H), 7.71 (d, 2H, *J* = 8.4 Hz), 7.52 (d, 1H, *J* = 8.4 Hz), 7.27 (d, 2H, *J* = 8.4 Hz), 6.99 (d, 1H, *J* = 8.0 Hz), 4.10 (s, 2H), 2.50 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.1, 153.9, 149.3, 145.1, 133.6, 130.1, 127.1, 125.5, 124.0, 122.8, 115.9, 56.3, 22.7, 21.5. IR (neat): 2925, 1712(s), 1619, 1587, 1489, 1363, 1166, 1089, 913, 814, 735, 667, 583; MS (ES^+) Calculated for $[C_{16}H_{15}NNaO_3S]^+$: 324.1; Found: 324.1; HRMS (ES^+) Calculated for $[C_{16}H_{15}NNaO_3S]^+$: 324.0670; Found: 324.0676.

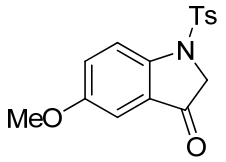
5-isopropyl-1-tosylindolin-3-one (3c)



3c

Compound **3c** was prepared in 84% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (d, 1H, *J* = 8.8 Hz), 7.71 (d, 2H, *J* = 8.4 Hz), 7.54 (d, 1H, *J* = 8.8 Hz), 7.49 (s, 1H), 7.26 (d, 2H, *J* = 5.6 Hz), 4.12 (s, 2H), 2.98 –2.88 (m, 1H), 2.38 (s, 3H), 1.23 (d, 6H, *J* = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.9, 151.9, 145.1, 145.0, 136.4, 133.5, 130.0, 127.1, 125.1, 121.2, 115.8, 56.3, 33.3, 23.7, 21.5; IR (neat): 2962, 1720(s), 1615, 1485, 1167, 1091, 913, 747, 662, 587, 545; MS (ES^+) Calculated for $[C_{18}H_{19}NNaO_3S]^+$: 352.1; Found: 352.1; HRMS (ES^+) Calculated for $[C_{18}H_{19}NNaO_3S]^+$: 352.0983; Found: 352.0985.

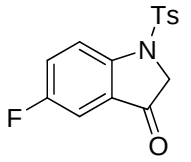
5-methoxy-1-tosylindolin-3-one (3d)



3d

Compound **3d** was prepared in 85% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, 1H, *J* = 8.8 Hz), 7.66 (d, 2H, *J* = 8.4 Hz), 7.27 –7.24 (m, 3H), 7.03 (d, 1H, *J* = 3.5 Hz), 4.11 (s, 2H), 3.79 (s, 3H), 3.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.8, 156.8, 148.3, 145.0, 132.9, 130.0, 127.2, 126.5, 126.0, 117.6, 104.8, 56.7, 55.7, 21.5; IR (neat): 2928, 1716(s), 1600, 1488, 1445, 1361, 1281, 1165, 1090, 1028, 913, 744, 662, 587, 545; MS (ES^+) Calculated for $[C_{16}H_{15}NNaO_4S]^+$: 340.1; Found: 340.1; HRMS (ES^+) Calculated for $[C_{16}H_{15}NNaO_4S]^+$: 340.0619; Found: 340.0621.

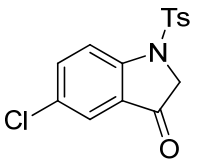
5-fluoro-1-tosylindolin-3-one (3e)



3e

Compound **3e** was prepared in 92% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (dd, 1H, *J* = 4.0 Hz, *J* = 12.0 Hz), 7.68 (d, 2H, *J* = 8.0 Hz), 7.42 – 7.29 (m, 1H), 7.28 – 7.26 (m, 3H), 4.16 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.9, 159.3 (d, *J* = 246.0 Hz), 158.2, 149.9, 145.4, 133.1, 130.2, 127.3, 124.8 (d, *J* = 23.0 Hz), 117.7 (d, *J* = 7.6 Hz), 110.0 (d, *J* = 23.3 Hz), 56.7, 21.6; IR (neat): 2925, 1712(s), 1597, 1482, 1365, 1169, 1088, 817, 666, 585; MS (ES^+) Calculated for $[C_{15}H_{12}FNNaO_3S]^+$: 328.0; Found: 328.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}FNNaO_3S]^+$: 328.0420; Found: 328.0420.

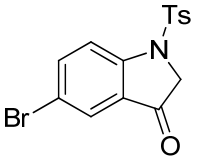
5-chloro-1-tosylindolin-3-one (3f)



3f

Compound **3f** was prepared in 93% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, 1H, *J* = 8.0 Hz), 7.70 (d, 2H, *J* = 8.0 Hz), 7.59 – 7.57 (m, 2H), 7.29 (d, 2H, *J* = 8.4 Hz), 4.15 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 152.0, 145.4, 137.0, 133.4, 130.2, 130.1, 127.1, 126.3, 123.9, 117.2, 56.5, 21.5; IR (neat): 3288, 2923, 1726(s), 1598, 1463, 1330, 1128, 1090, 913, 813, 736, 667, 584, 543; MS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_3S]^+$: 344.0; Found: 344.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_3S]^+$: 344.0124; Found: 344.0124.

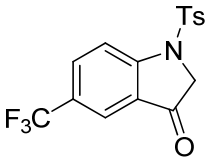
5-bromo-1-tosylindolin-3-one (3g)



3g

Compound **3g** was prepared in 91% yield according to the general procedure. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (d, 1H, *J* = 8.0 Hz), 7.76 – 7.70 (m, 4H), 7.30 (d, 2H, *J* = 8.0 Hz), 4.16 (s, 2H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 152.3, 145.5, 139.8, 133.2, 130.2, 127.1, 127.0, 126.6, 117.5, 117.3, 56.3, 21.6; IR (neat): 2920, 1724(s), 1597, 1460, 1364, 1168, 1091, 666, 583, 543; MS (ES^+) Calculated for $[C_{15}H_{12}BrNNaO_3S]^+$: 388.0; Found: 388.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}BrNNaO_3S]^+$: 387.9619; Found: 387.9620.

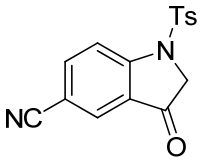
1-tosyl-5-(trifluoromethyl)indolin-3-one (3h)



3h

Compound **3h** was prepared in 81% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, 1H, *J* = 8.8 Hz), 7.91 – 7.88 (m, 2H), 7.74 (d, 2H, *J* = 8.0 Hz), 7.31 (d, 2H, *J* = 8.0 Hz), 4.21 (s, 2H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 155.4, 145.7, 133.8 (dd, *J* = 3.2 Hz, *J* = 6.5 Hz), 133.5, 130.4, 127.1, 126.5, 126.2, 124.9, 122.1 (dd, *J* = 4.2 Hz, *J* = 8.0 Hz), 116.1, 56.5, 21.6; IR (neat): 2924, 1744(s), 1626, 1596, 1497, 1329, 1173, 1130, 1088, 913, 748, 669, 582; MS (ES^+) Calculated for $[C_{16}H_{12}F_3NNaO_3S]^+$: 378.0; Found: 378.0; HRMS (ES^+) Calculated for $[C_{16}H_{12}F_3NNaO_3S]^+$: 378.0388; Found: 378.0386.

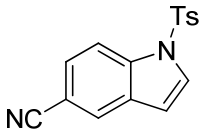
3-oxo-1-tosylindoline-5-carbonitrile (3i)



3i

Compound **3i** was prepared in 65% yield according to the general procedure.^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, 1H, *J* = 8.8 Hz), 7.93 (s, 1H), 7.89 (d, 1H, *J* = 11.6 Hz), 7.74 (d, 2H, *J* = 8.4 Hz), 7.33 (d, 2H, *J* = 8.0 Hz), 4.22 (s, 2H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.5, 155.5, 146.0, 139.9, 133.4, 130.5, 129.1, 127.1, 125.1, 117.5, 116.3, 107.5, 56.3, 21.6; IR (neat): 2925, 2231, 1744 (s), 1615, 1494, 1480, 1366, 1169, 1089, 907, 664, 584; MS (ES^+) Calculated for $[C_{16}H_{12}N_2NaO_3S]^+$: 335.0; Found: 335.0; HRMS (ES^+) Calculated for $[C_{16}H_{12}N_2NaO_3S]^+$: 335.0466; Found: 335.0469.

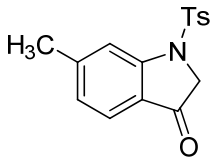
1-tosyl-1H-indole-5-carbonitrile (2i)



2i

Compound **2i** was isolated in 34% yield according to the general procedure. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.07 (d, 1H, *J* = 8.5 Hz), 7.86 (d, 1H, *J* = 1.0 Hz), 7.77 (d, 2H, *J* = 8.5Hz), 7.69 (d, 1H, *J* = 4.0 Hz), 7.55 (dd, 1H, *J* = 1.5 Hz, *J* = 8.5 Hz), 7.26 (d, 2H, *J* = 8.5 Hz), 6.71 (dd, 1H, *J* = 0.5 Hz, *J* = 3.5 Hz), 2.36 (s, 3 H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 145.7, 136.4, 134.7, 130.6, 130.1, 128.4, 127.4, 126.8, 126.3, 119.2, 114.2, 108.4, 106.8, 21.5; IR (neat): 3147, 3114, 2920, 2853, 2227, 1596, 1496, 1455, 1376, 1322, 1189, 1171, 1138, 1092, 813, 670, 591; MS (ES^+) Calculated for $[C_{16}H_{12}N_2NaO_2S]^+$: 319.1; Found: 319.1; HRMS (ES^+) Calculated for $[C_{16}H_{12}N_2NaO_2S]^+$: 319.0517; Found: 319.0517.

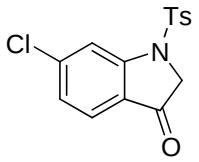
6-methyl-1-tosylindolin-3-one (3j)



3j

Compound **3j** was prepared in 93% yield according to the general procedure.^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (s, 1H), 7.71 (d, 2H, *J* = 8.4 Hz), 7.52 (d, 1H, *J* = 8.0 Hz), 7.27 (d, 2H, *J* = 8.4 Hz), 6.99 (d, 1H, *J* = 8.0 Hz), 4.10 (s, 2H), 2.50 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.1, 154.0, 149.3, 145.1, 133.6, 130.1, 127.1, 125.5, 124.0, 122.8, 116.0, 56.3, 22.7, 21.5; IR (neat): 2924, 1716(s), 1607, 1431, 1362, 1170, 1120, 1091, 974, 813, 663, 580; MS (ES^+) Calculated for $[C_{16}H_{15}NNaO_3S]^+$: 324.1; Found: 324.1; HRMS (ES^+) Calculated for $[C_{16}H_{15}NNaO_3S]^+$: 324.0670; Found: 324.0676.

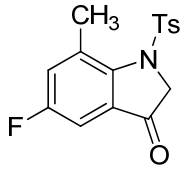
6-chloro-1-tosylindolin-3-one (3k)



3k

Compound **3k** was prepared in 90% yield according to the general procedure.^{1}H NMR (500 MHz, $CDCl_3$) δ 8.06 (s, 1H), 7.73 (d, 2H, *J* = 8.8 Hz), 7.56 (d, 1H, *J* = 8.0 Hz), 7.31 (d, 2H, *J* = 8.8 Hz), 7.14 (d, 1H, *J* = 8.0 Hz), 4.14 (s, 2H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 154.1, 145.5, 144.0, 133.4, 130.3, 127.1, 125.3, 124.8, 123.4, 116.0, 56.3, 21.6; IR (neat): 3439(bs), 2923, 1716(s), 1601, 1578, 1433, 1362, 1164, 974, 663; MS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_3S]^+$: 344.0; Found: 344.0; HRMS (ES^+) Calculated for $[C_{15}H_{12}ClNNaO_3S]^+$: 344.0124; Found: 344.0125.

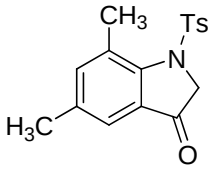
5-fluoro-7-methyl-1-tosylindolin-3-one (3l)



3l

Compound **3l** was prepared in 79% yield according to the general procedure.^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.26 (m, 3H), 7.17 (d, 2H, *J* = 8.0 Hz), 7.02 (dd, 1H, *J* = 2.4 Hz, *J* = 6.0 Hz), 4.18 (s, 2H), 2.70 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 196.6 (d, *J* = 2.9 Hz), 161.3 (d, *J* = 248.9 Hz), 149.9 (d, *J* = 18.0 Hz), 145.2, 136.3 (d, *J* = 7.9 Hz), 131.3 (d, *J* = 8.4 Hz), 130.8, 129.8, 128.1, 126.0 (d, *J* = 23.8 Hz), 107.3 (d, *J* = 23.3 Hz), 59.6, 21.6, 20.0; IR (neat): 2930, 1737 (s), 1724, 1597, 1482, 1364, 1306, 1172, 1087, 913, 748, 670; MS (ES^+) Calculated for $[C_{16}H_{14}FNNaO_3S]^+$: 342.1; Found: 342.1; HRMS (ES^+) Calculated for $[C_{16}H_{14}FNNaO_3S]^+$: 342.0576; Found: 342.0578.

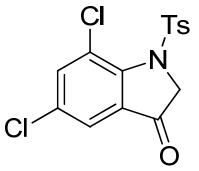
5,7-dimethyl-1-tosylindolin-3-one (3m)



3m

Compound **3m** was prepared in 74% yield according to the general procedure.^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 (m, 1H), 7.20 (t, 2H, *J* = 8.4 Hz), 7.08 (t, 3H, *J* = 8.0 Hz), 4.07 (s, 2H), 2.57 (s, 3H), 2.28 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.4, 151.6, 144.8, 140.5, 136.9, 132.9, 131.3, 129.7, 129.6, 127.9, 121.4, 59.1, 21.5, 20.7, 19.8; IR (neat): 2927, 1726 (s), 1596, 1482, 1362, 1306, 1170, 1089, 913, 736, 670, 593; MS (ES^+) Calculated for $[C_{17}H_{17}NNaO_3S]^+$: 338.1; Found: 338.1; HRMS (ES^+) Calculated for $[C_{17}H_{17}NNaO_3S]^+$: 338.0827; Found: 338.0827.

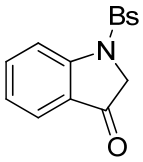
5,7-dichloro-1-tosylindolin-3-one (3n)



3n

Compound **3n** was prepared in 88% yield according to the general procedure.^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, 1H, *J* = 2.4 Hz), 7.62 (d, 2H, *J* = 8.4 Hz), 7.52 (d, 1H, *J* = 2.0 Hz), 7.29 (d, 2H, *J* = 8.0 Hz), 4.45 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.5, 149.9, 145.1, 137.9, 34.4, 132.3, 131.4, 129.9, 127.6, 127.0, 122.4, 59.3, 21.7; IR (neat): 3063, 1703(s), 1634, 1503, 1323, 1289, 1019, 881; MS (ES^+) Calculated for $[C_{15}H_{11}Cl_2NNaO_3S]^+$: 378.0; Found: 378.0; HRMS (ES^+) Calculated for $[C_{15}H_{11}Cl_2NNaO_3S]^+$: 377.9734; Found: 377.9739.

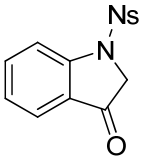
1-(4-bromophenylsulfonyl)indolin-3-one (3o)



3o

Compound **3o** was prepared in 85% yield according to the general procedure. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (d, 1H, *J* = 8.5 Hz), 7.71 – 7.66 (m, 4H), 7.63 (d, 2H, *J* = 8.5 Hz), 7.21 (t, 1H, *J* = 7.5 Hz), 4.13 (s, 2 H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 194.1, 153.1, 137.3, 135.5, 132.8, 129.4, 128.5, 125.1, 124.6, 124.4, 115.7, 56.0; IR (neat): 3097, 2926, 1721(s), 1604, 1544, 1461, 1373, 1324, 1199, 1173, 1127, 1084, 969, 765, ,744, 597; MS (ES^+) Calculated for $[C_{14}H_{10}BrNNaO_3S]^+$: 373.9; Found: 373.9; HRMS (ES^+) Calculated for $[C_{14}H_{10}BrNNaO_3S]^+$: 373.9462; Found: 373.9464.

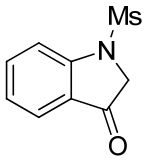
1-(2-nitrophenylsulfonyl)indolin-3-one (3p)



3p

Compound **3p** was prepared in 88% yield according to the general procedure. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (d, 1H, *J* = 7.2 Hz), 7.85 – 7.67 (m, 6H), 7.25 (t, 1H, *J* = 7.6 Hz), 4.37 (s, 2 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.8, 152.6, 148.2, 137.2, 134.9, 132.1, 131.0, 130.4, 124.7, 124.6, 124.5, 124.4, 115.6, 56.0; IR (neat): 2921, 2853, 1716(s), 1604, 1574, 1461, 1362, 1155, 1114, 1085, 1010, 961, 762, 745; MS (ES^+) Calculated for $[C_{14}H_{10}N_2NaO_5S]^+$: 341.0; Found: 341.0; HRMS (ES^+) Calculated for $[C_{14}H_{10}N_2NaO_5S]^+$: 341.0208; Found: 341.0209.

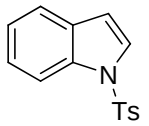
1-(methylsulfonyl)indolin-3-one (3q)



3q

Compound **3q** was prepared in 90% yield according to the general procedure. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 – 7.75 (m, 2H), 7.71 – 7.66 (m, 1H), 7.28 – 7.22 (m, 2H), 4.25 (s, 2H), 3.03 (s, 3 H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 194.4, 153.1, 137.5, 124.8, 124.7, 124.1, 114.9, 56.3, 37.0; IR (neat): 2927, 2840, 1699(s), 1602, 1460, 1348, 1199, 1112, 1077, 981, 764; MS (ES^+) Calculated for $[C_9H_9NNaO_3S]^+$: 234.0; Found: 234.0; HRMS (ES^+) Calculated for $[C_9H_9NNaO_3S]^+$: 234.0201; Found: 234.0203.

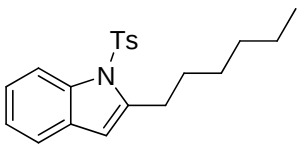
1-tosyl-1*H*-indole (2a)



2a

This compound is known and the spectroscopic data match those reported.[6] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, 1H, *J* = 8.5 Hz), 7.74 (d, 2H, *J* = 8.5 Hz), 7.55 (d, 1H, *J* = 3.5 Hz), 7.50 (d, 1H, *J* = 8.0 Hz), 7.29 (t, 1H, *J* = 8.0 Hz), 7.23 – 7.16 (m, 3H), 6.63 (d, 1H, *J* = 3.5 Hz), 2.29 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 144.8, 135.3, 134.8, 130.7, 129.8, 126.7, 126.3, 124.5, 123.2, 121.3, 113.5, 108.9, 21.4.

2-hexyl-1-tosyl-1H-indole (2r)

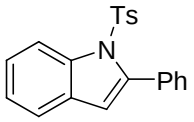


2r

Compound **2r** was prepared in 72% yield according to the general procedure. ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.22 (d, 1H, *J* = 8.0 Hz), 7.66 (d, 2H, *J* = 7.5 Hz), 7.44 (d, 1H, *J* =

8.0Hz), 7.31 – 7.18 (m, 4H), 6.42 (s, 1H), 3.03 (t, 2H, *J* = 7.6 Hz), 2.34 (s, 3 H), 1.82 – 1.74 (m, 2H), 1.50 – 1.33 (m, 6H), 0.95 (t, 3H, *J* = 7.2 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 142.5, 137.2, 136.3, 129.8, 129.7, 126.2, 123.7, 123.4, 120.0, 114.8, 108.5, 31.6, 29.0, 28.9, 28.8, 22.5, 21.4, 14.0; IR (neat): 2920, 2850, 1657, 1626, 1472, 1390, 1186, 1150, 1091, 913, 744; MS (ES^+) Calculated for $[C_{21}H_{25}NNaO_2S]^+$: 378.2; Found: 378.2; HRMS (ES^+) Calculated for $[C_{21}H_{25}NNaO_2S]^+$: 378.1504; Found: 378.1506.

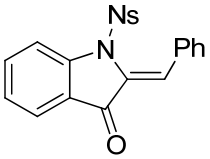
2-phenyl-1-tosyl-1H-indole (2s)



2s

Compound **2s** was prepared in 80% yield according to the general procedure except at 80 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (d, 1H, *J* = 9.2 Hz), 7.51 – 7.46 (m, 2H), 7.43 – 7.38 (m, 4H), 7.36 – 7.31 (m, 1H), 7.27 – 7.24 (m, 3H), 7.00 (d, 2H, *J* = 8.0 Hz), 6.52 (s, 1H), 2.25 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.5, 142.1, 138.2, 134.6, 132.4, 130.5, 130.3, 129.1, 128.6, 127.4, 126.7, 124.7, 124.2, 120.6, 116.6, 113.6, 21.4; IR (neat): 3360, 3066, 2920, 2850, 1597, 1491, 1449, 1372, 1187, 1177, 1090, 762, 695; MS (ES^+) Calculated for $[C_{21}H_{17}NNaO_2S]^+$: 370.1; Found: 370.1; HRMS (ES^+) Calculated for $[C_{21}H_{17}NNaO_2S]^+$: 370.0878; Found: 370.0878.

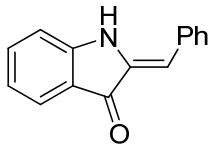
1-(2-nitrophenylsulfonyl)indolin-3-one



The above compound was prepared in 45% yield according to the known procedure.[7] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97 (d, 1H, *J* = 8.5 Hz), 7.92 – 7.89 (m, 2 H), 7.82 – 7.79 (m, 2 H), 7.74 (d, 1 H, *J* = 7.5 Hz), 7.70 – 7.66 (m, 2 H), 7.56 – 7.53 (m, 2 H), 7.45 – 7.41 (m, 3 H), 7.32 (t, 1 H, *J* = 7.5 Hz); ^{13}C NMR (125 MHz, $CDCl_3$) δ 182.1, 147.6, 136.1, 135.0,

132.9, 132.5, 131.9, 131.4, 131.3, 130.8, 130.7, 130.1, 128.1, 128.0, 125.9, 125.8, 124.5, 124.4, 118.1.

(Z)-2-benzylideneindolin-3-one (6)



6

Compound **6** was prepared in 90% yield according to the known procedure.[8] This compound is known and the spectroscopic data match those reported.[9] ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, 1 H, *J* = 8.0 Hz), 7.54 (d, 2 H, *J* = 8.0 Hz), 7.47 – 7.41 (m, 3 H), 7.31 (t, 1 H, *J* = 8.0 Hz), 7.05 (s, 1 H), 7.00 (d, 1 H, *J* = 8.0 Hz), 6.95 (t, 1H, *J* = 8.0 Hz), 6.85 (s, 1 H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 186.6, 153.3, 136.1, 135.4, 134.7, 129.5, 129.2, 128.5, 124.9, 121.7, 120.6, 112.0, 111.6.

Reference:

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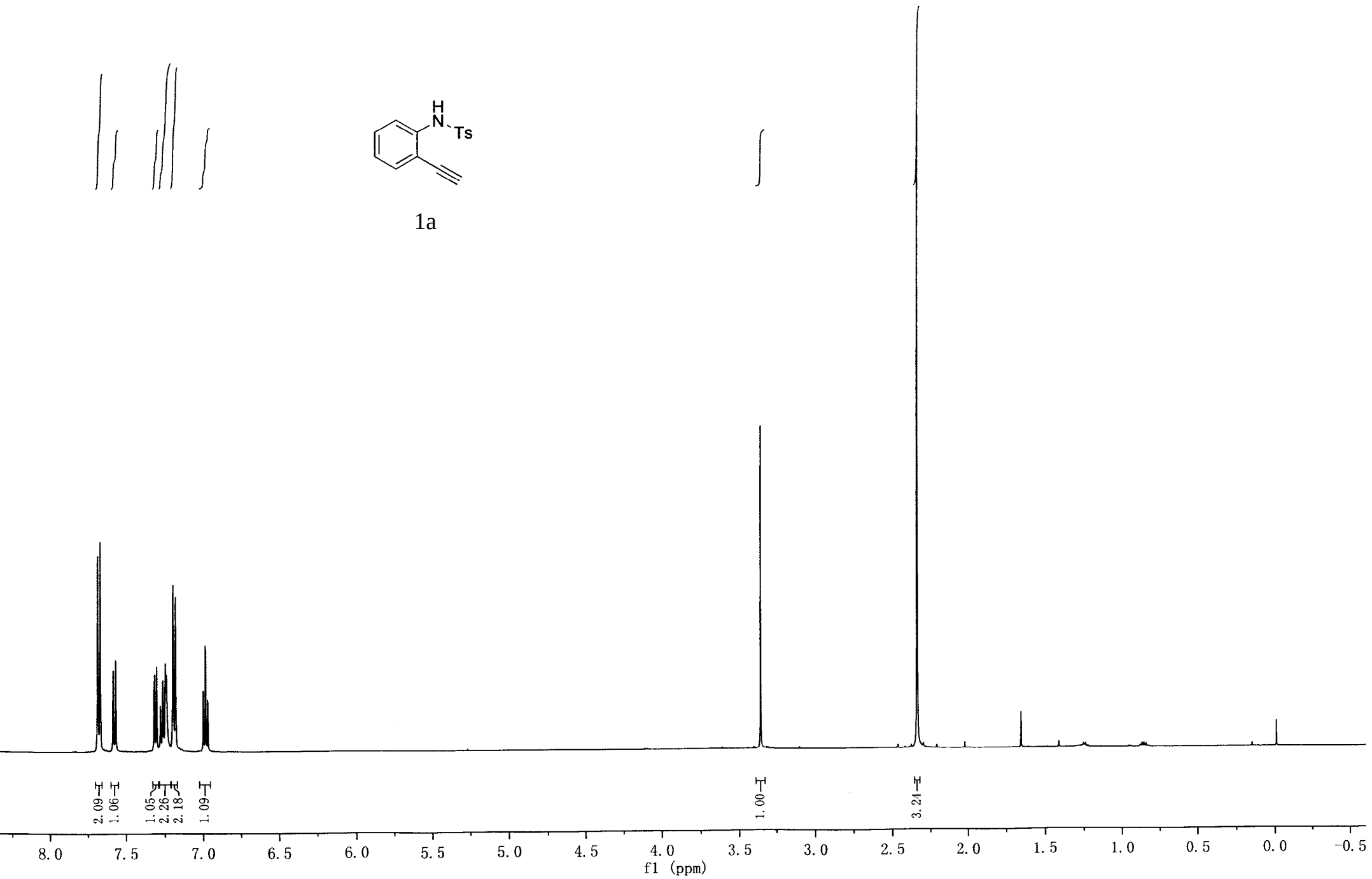
H
N
Ts

1a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2.26
2.18
1.09
1.00
3.24
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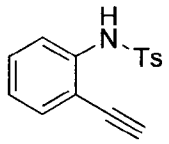
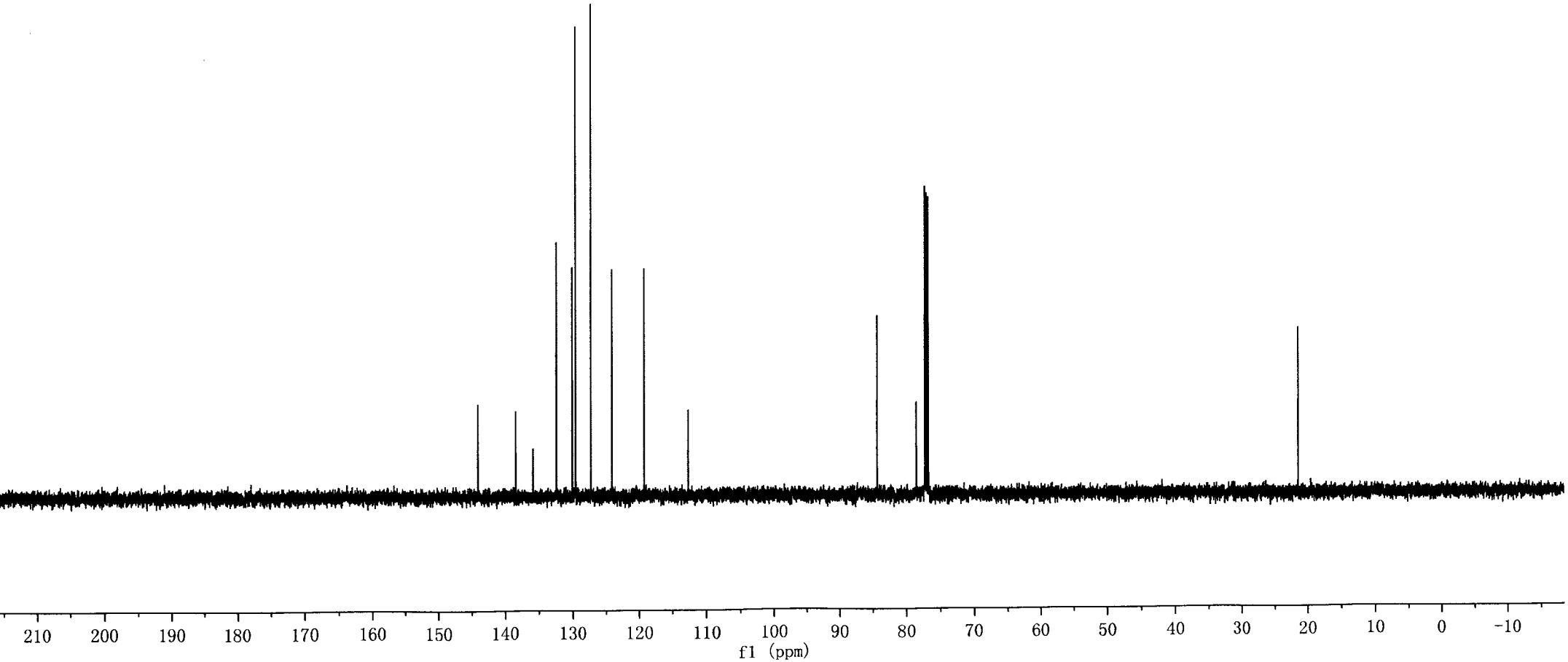

H
N
Ts

1a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200
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40
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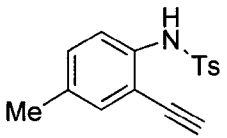
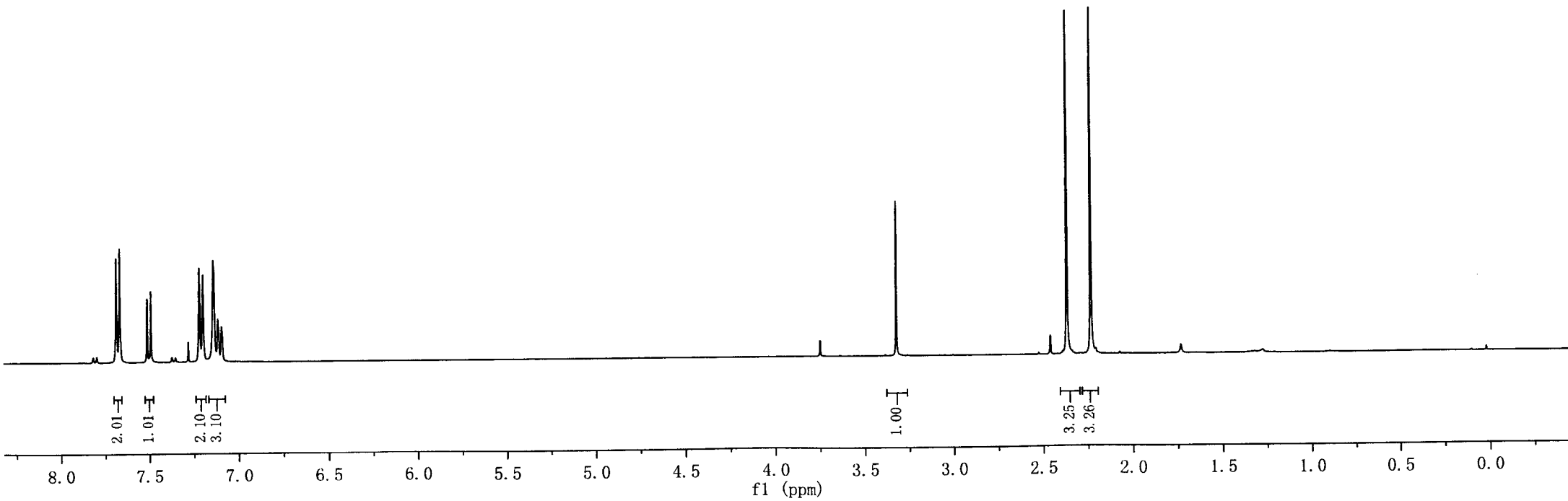
0
-10
f1 (ppm)


H
N
Ts
Me

1b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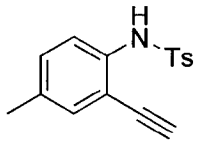
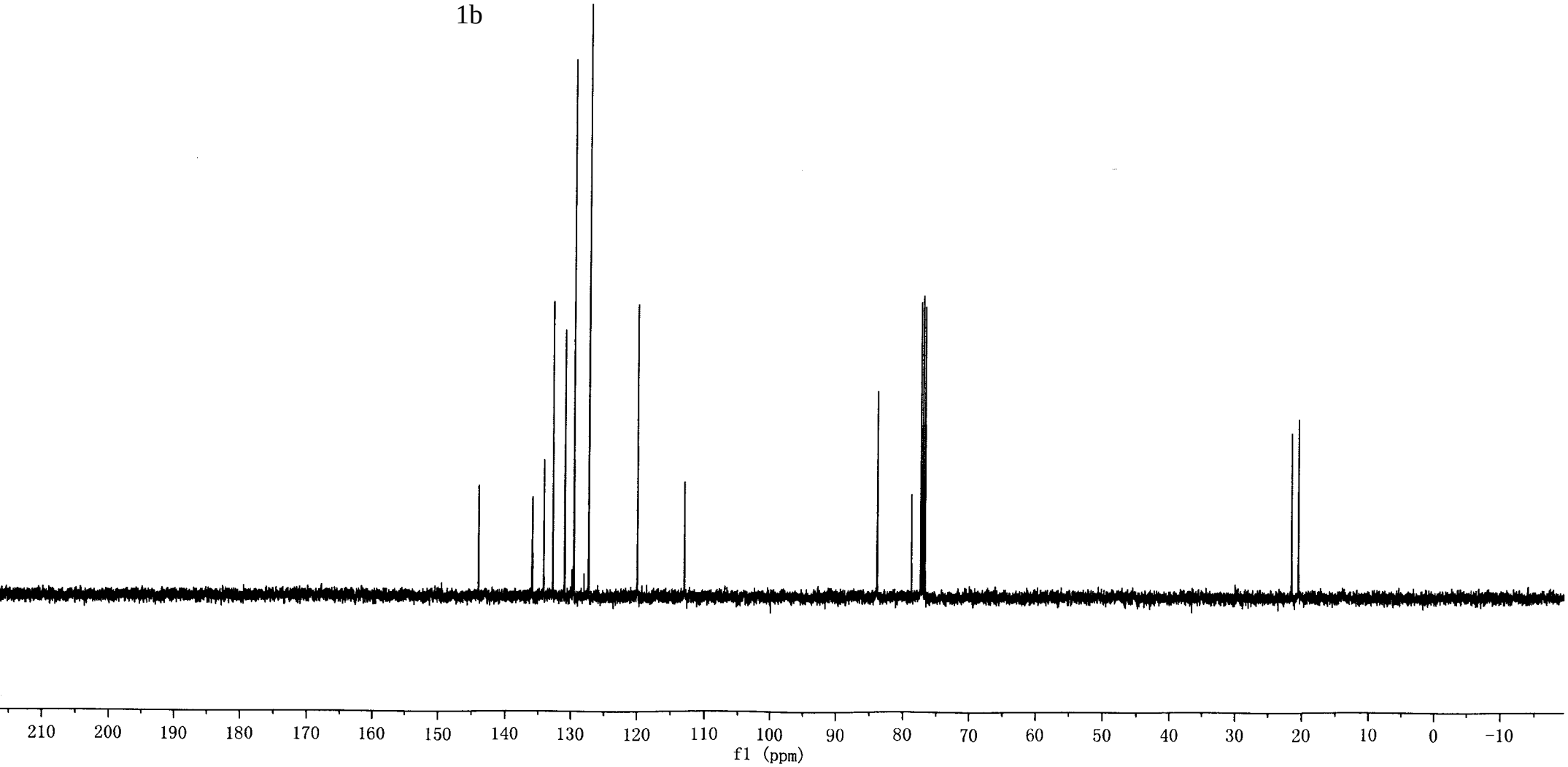
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


H
N
Ts

1b


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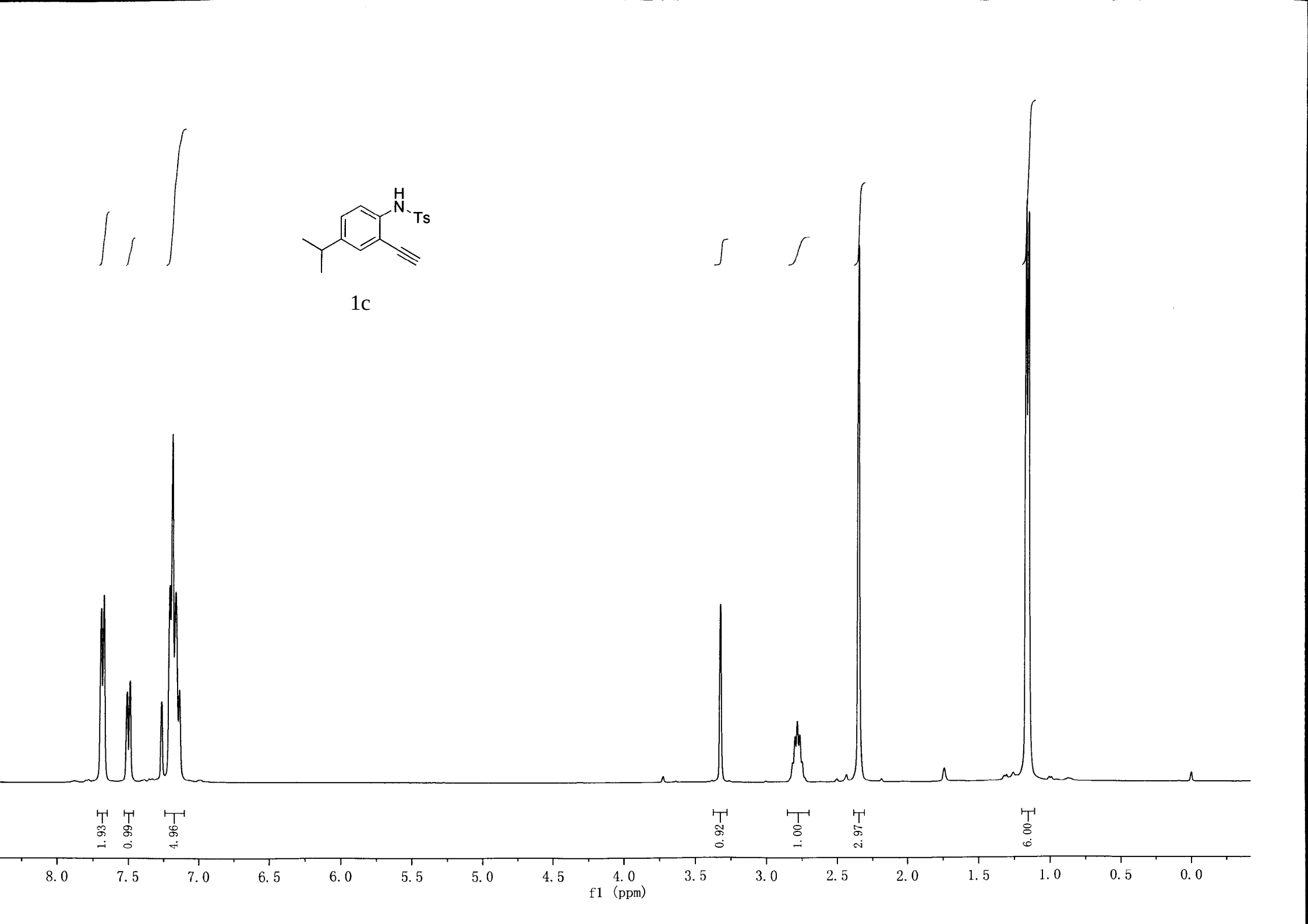
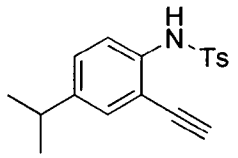
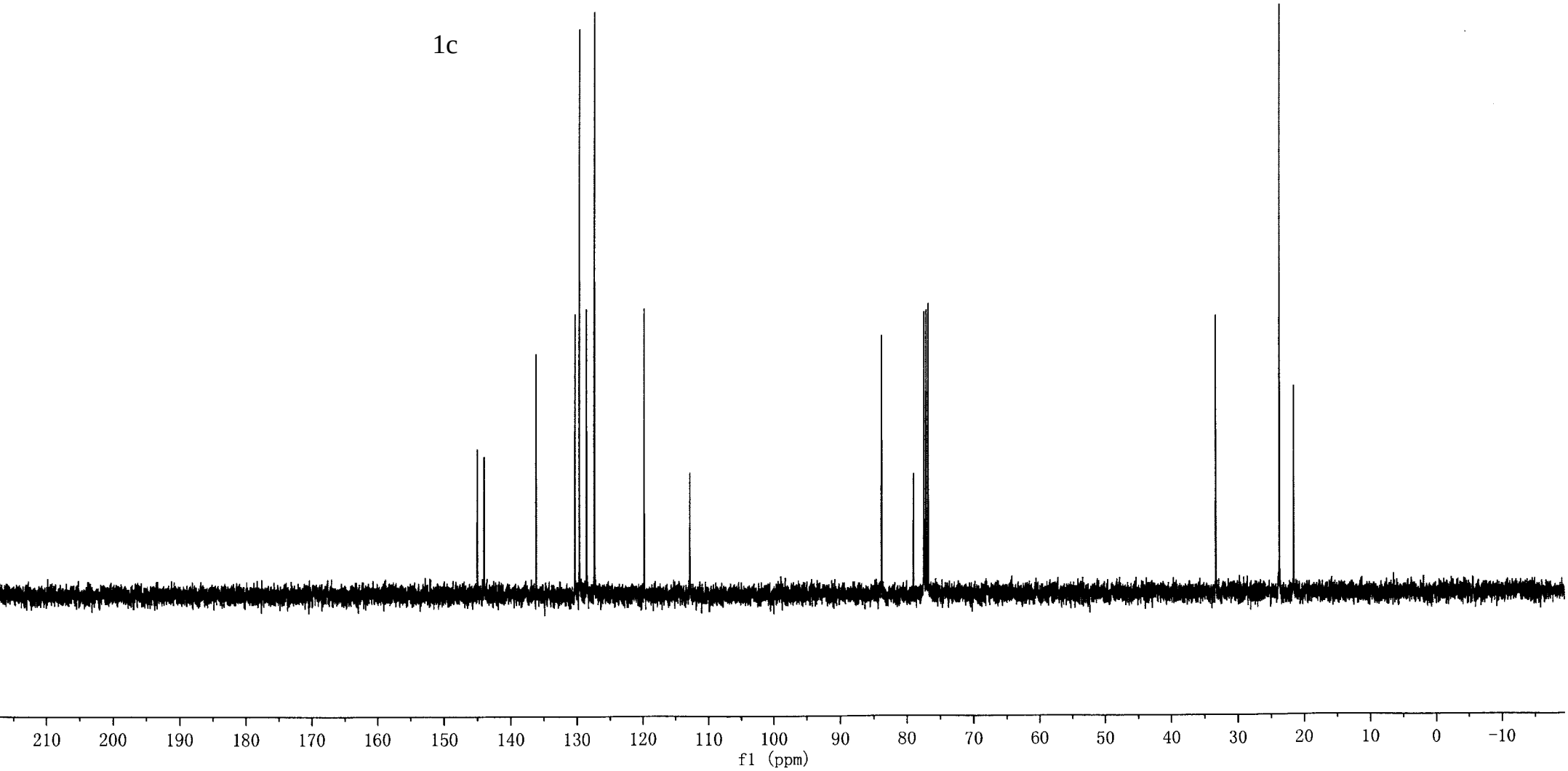
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


H
N
Ts
1c
1.93
0.99
4.96
0.92
1.00
2.97
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)


H
N
Ts

1c


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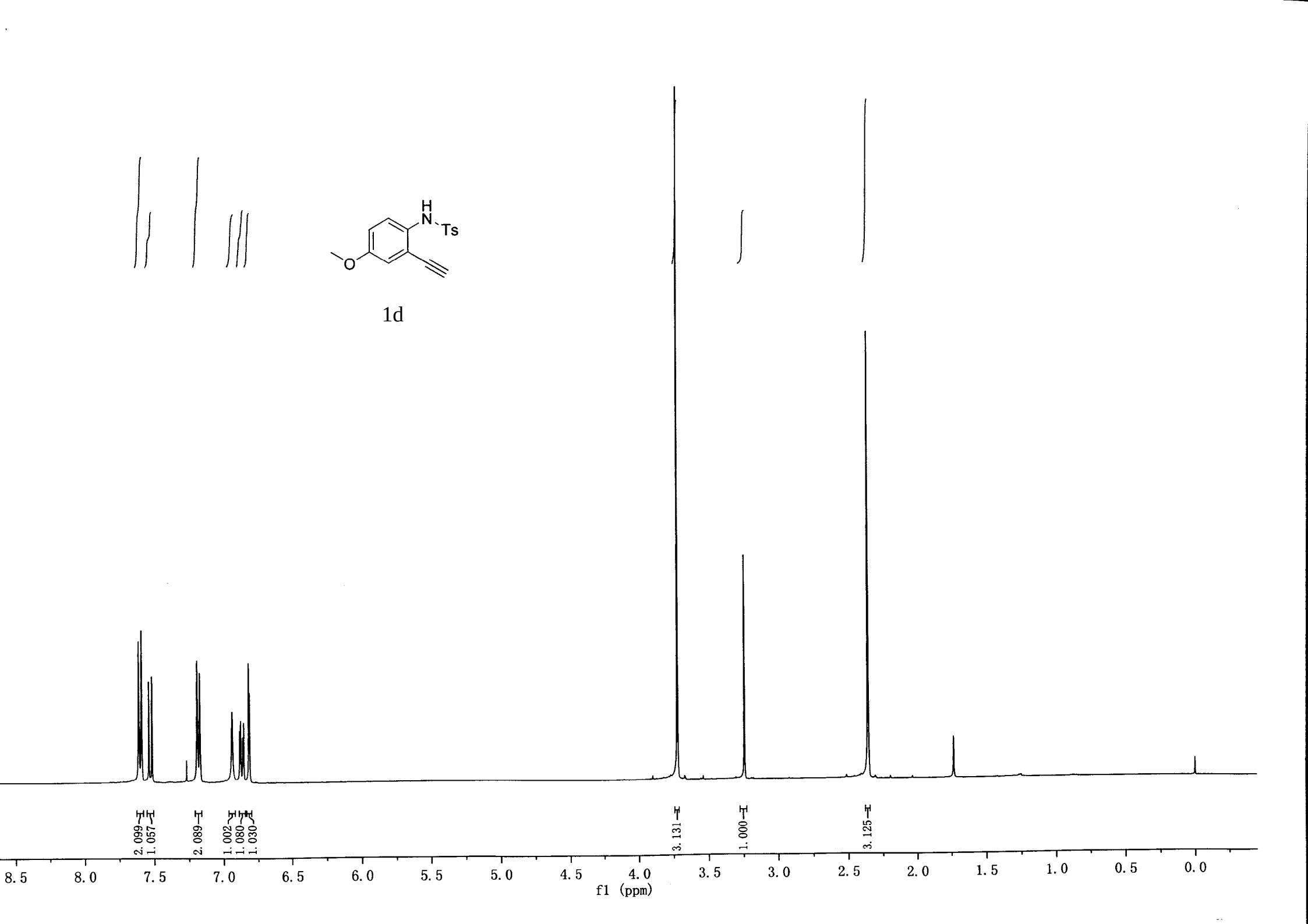
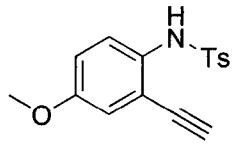
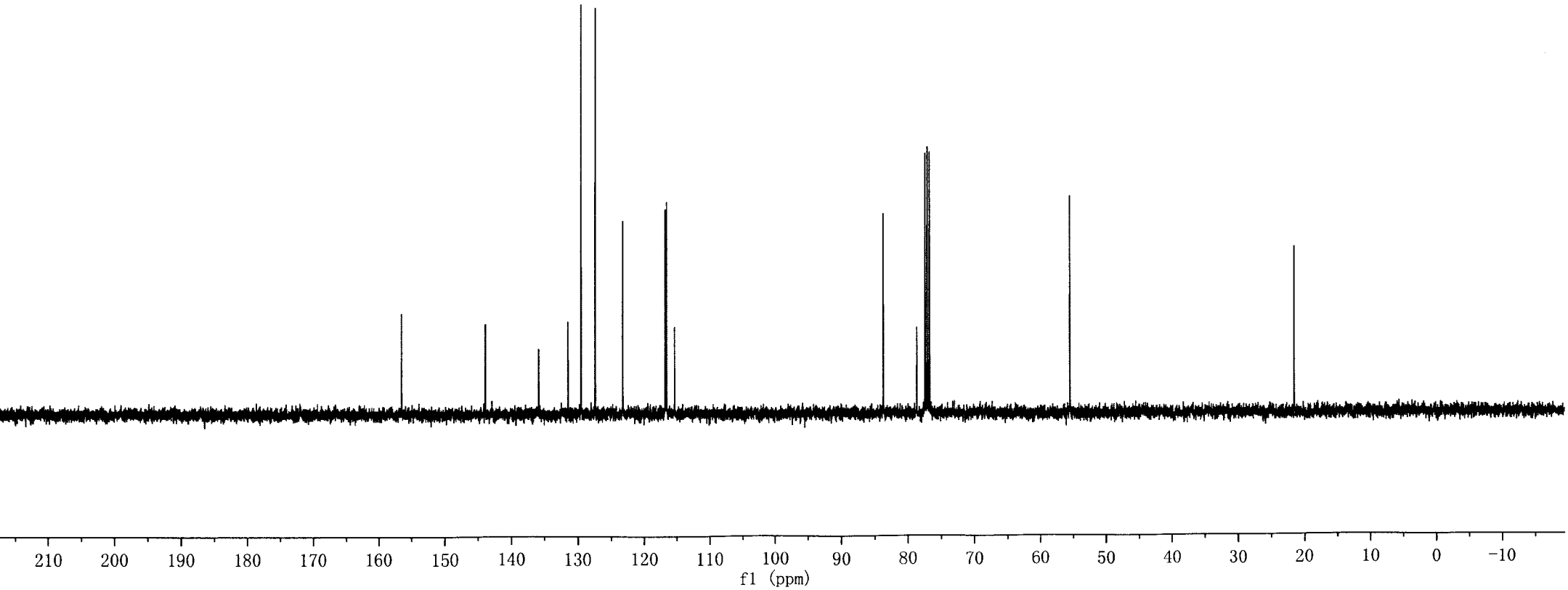
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


H
N
Ts
O
2.099
1.057
2.089
1.002
1.080
1.030
3.131
1.000
3.125
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)

1d

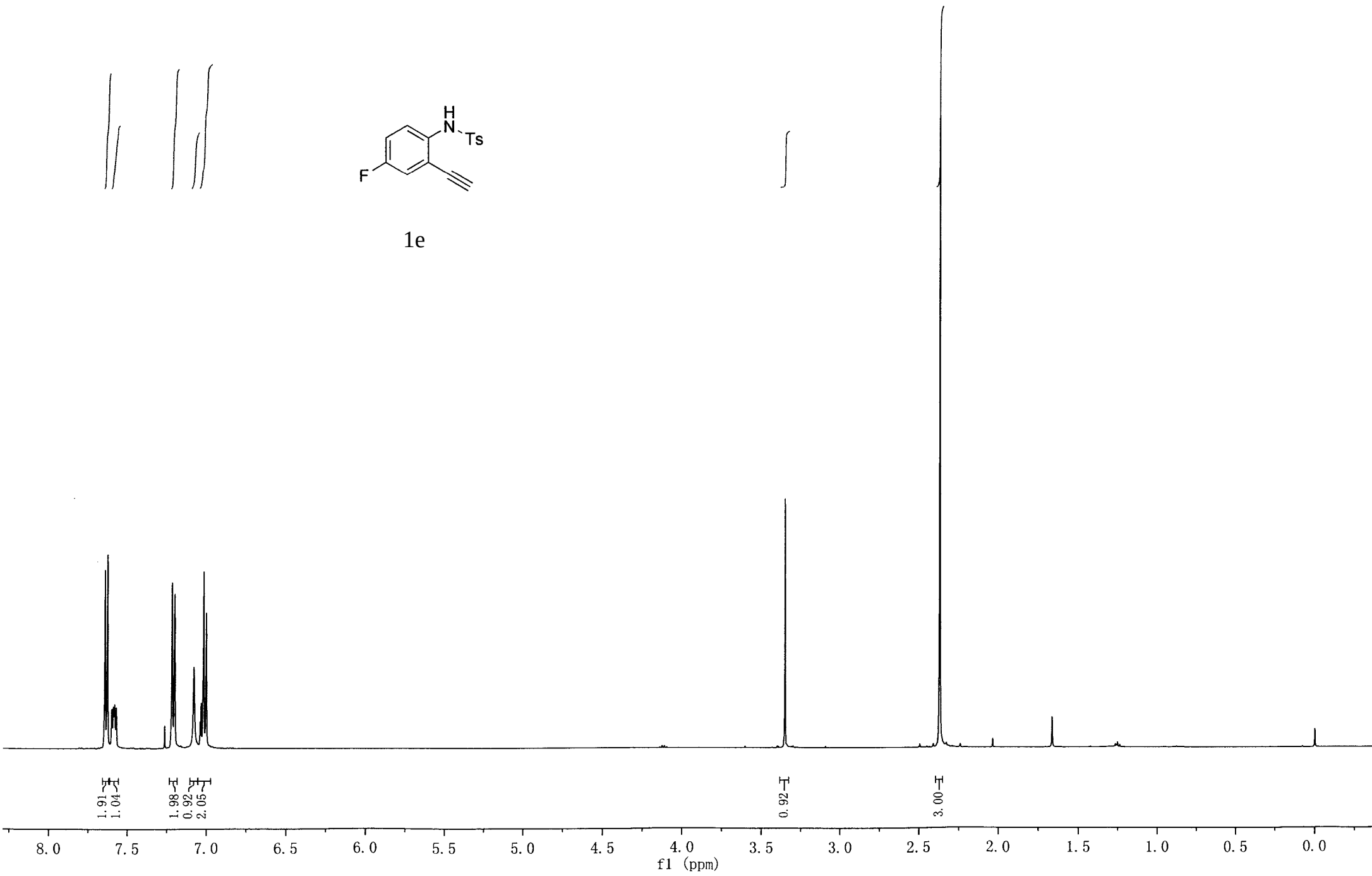

H
N
Ts
O

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)

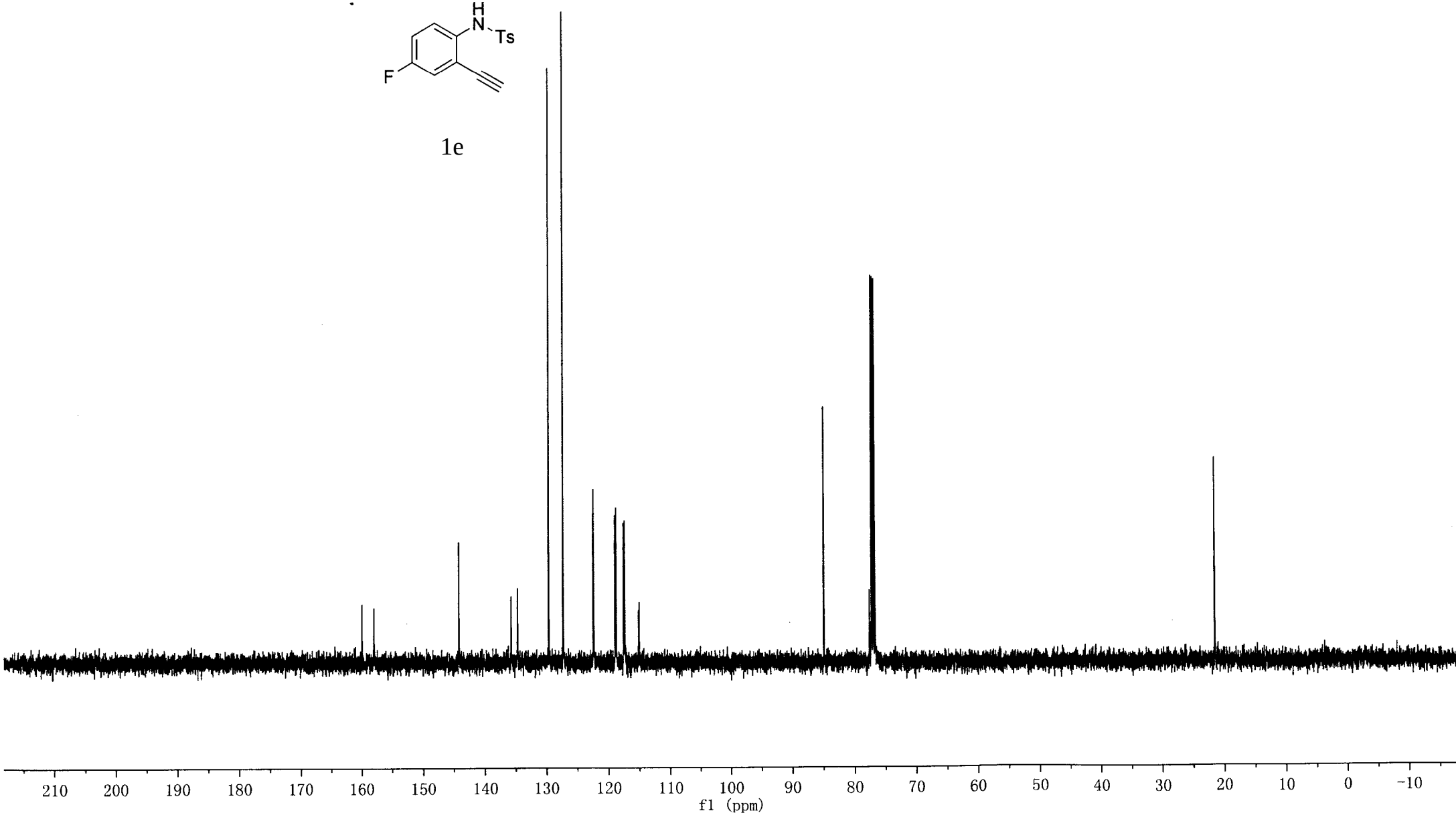
H
N
Ts
F

1e


1.91
1.04
1.98
0.92
2.05
0.92
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)

H
N
Ts
F

1e


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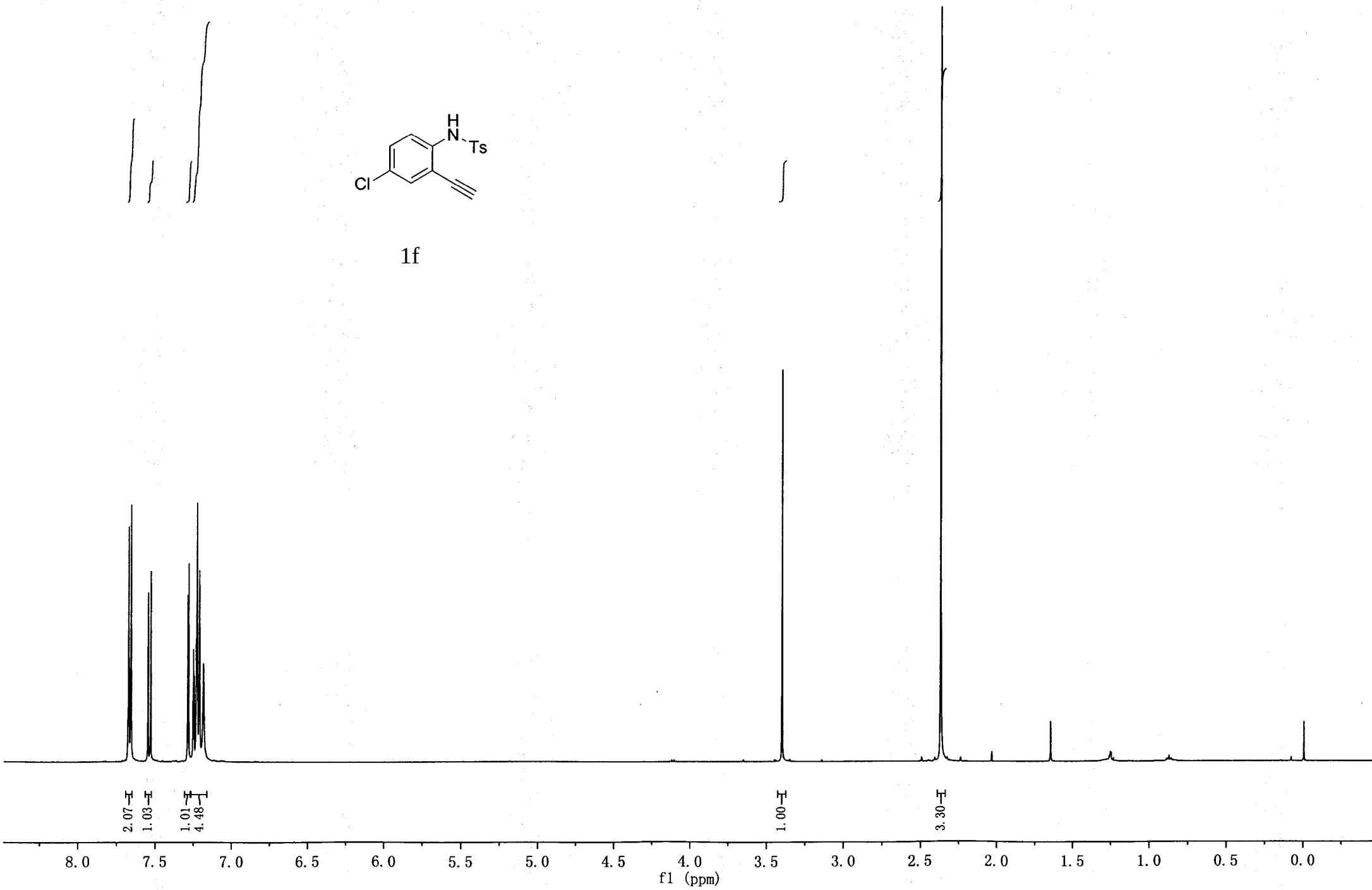
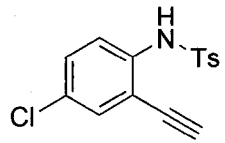
60
50
40
30
20
10
0
-10
f1 (ppm)

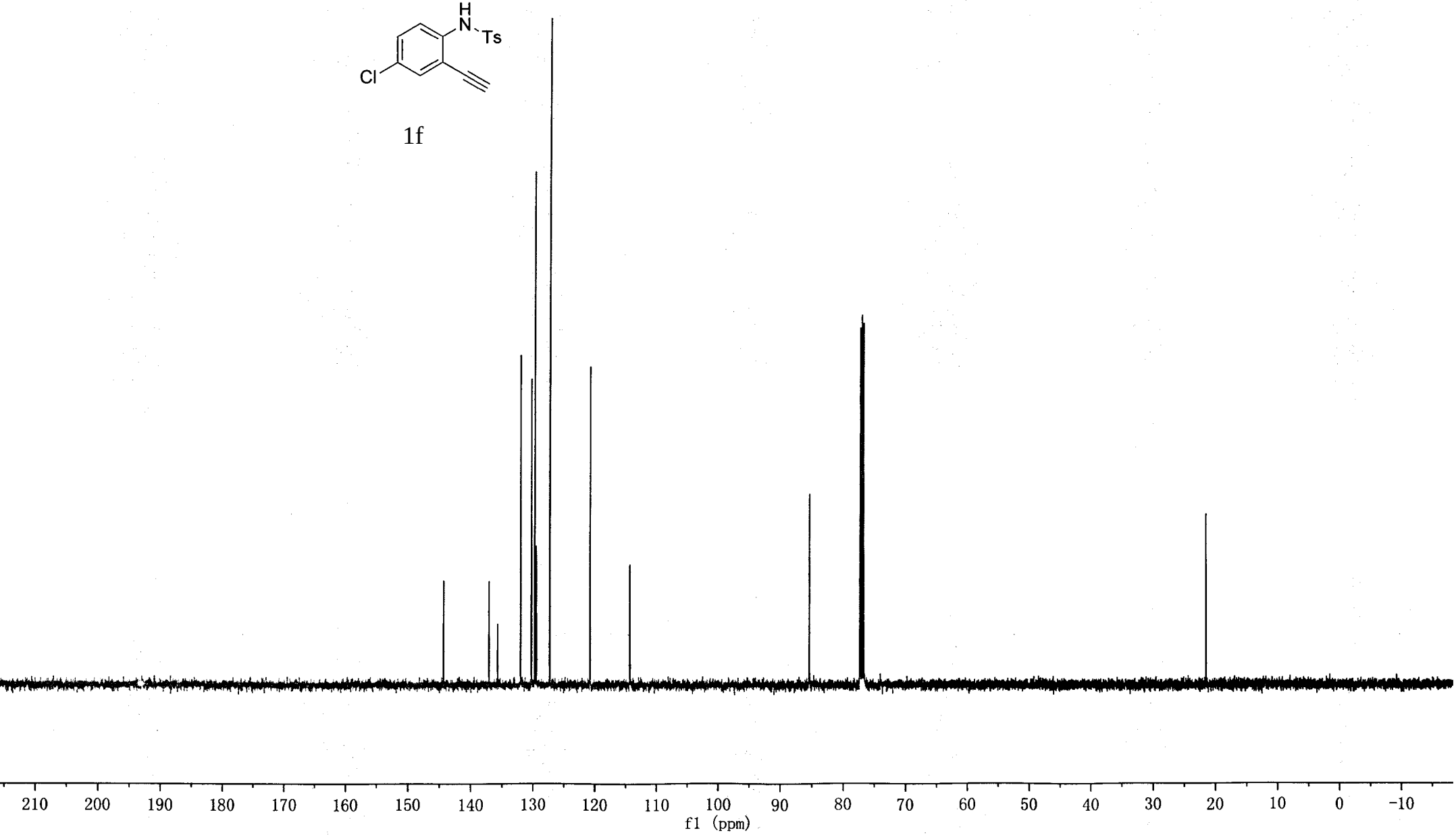
H
N
Ts
Cl

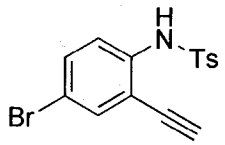
1f


2.07
1.03
1.01
4.48
1.00
3.30
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)


H
N
Ts
Cl

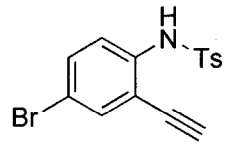
1f


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)

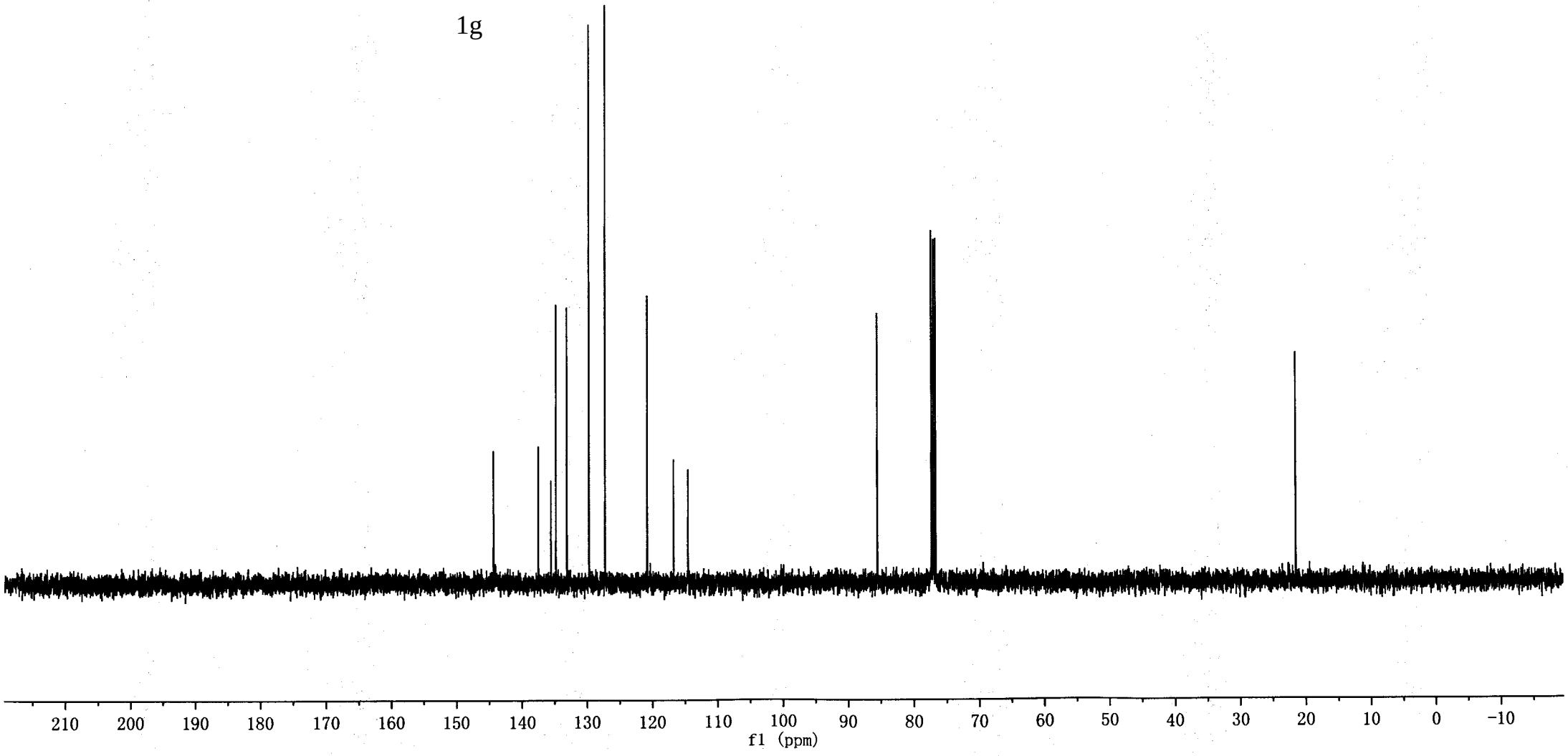

H
N
Ts
Br

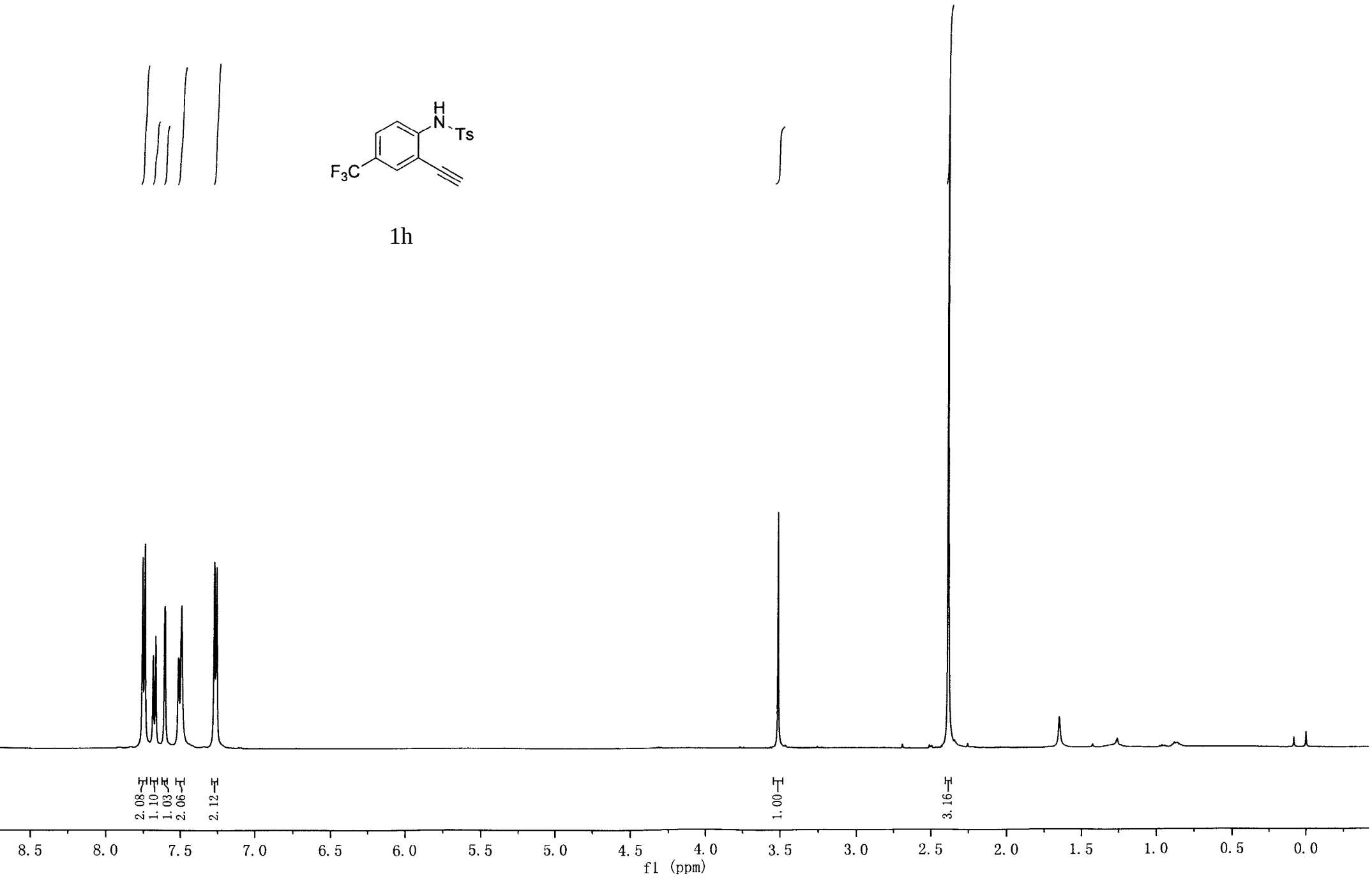
1g

2.11
3.05
3.04
1.00
3.14
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)

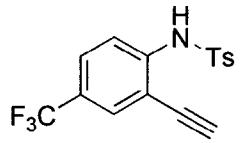

H
N
Ts
Br

1g

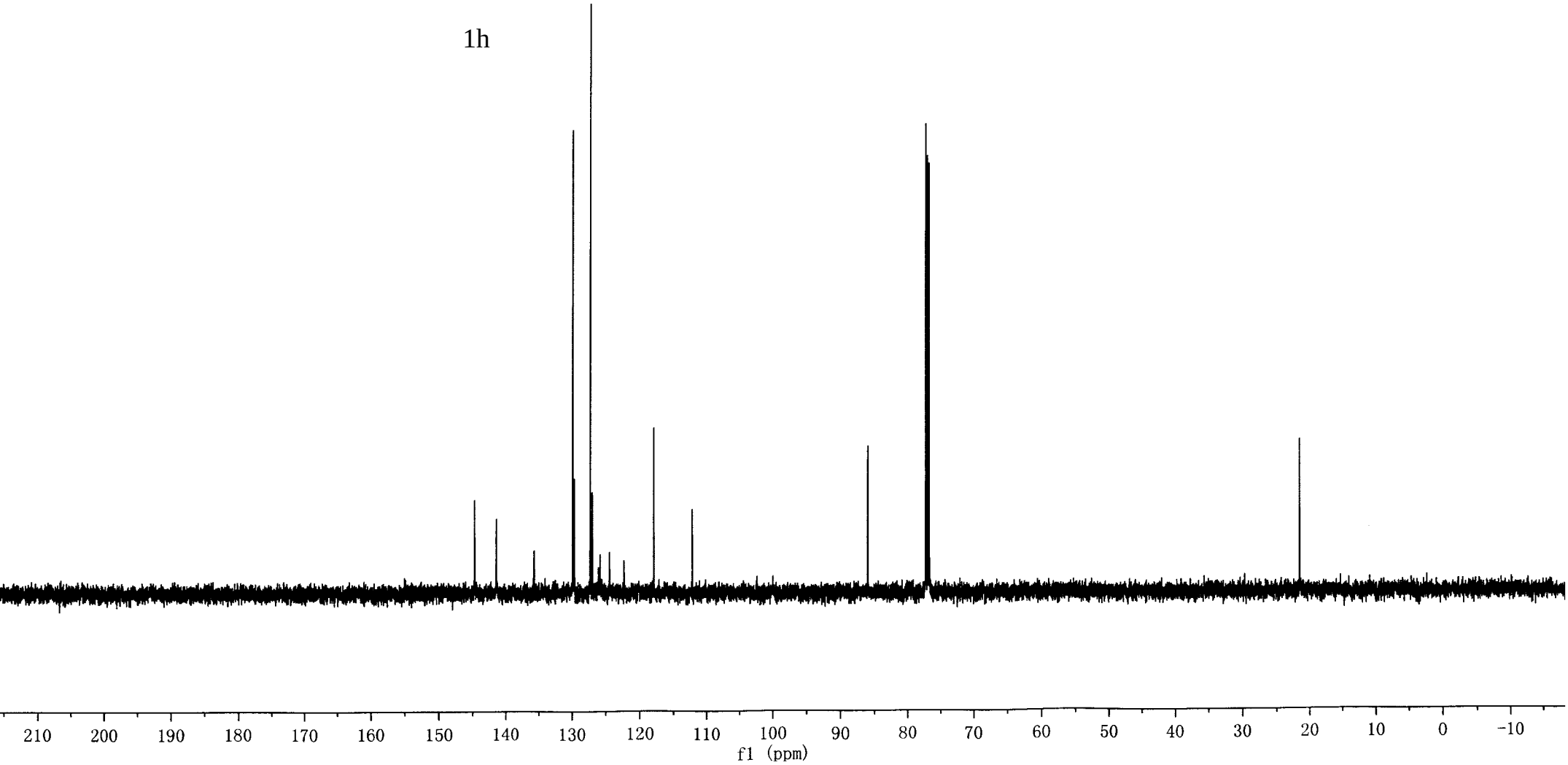

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


H
N
Ts
F3C
2.08
1.10
1.03
2.06
2.12
1.00
3.16
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)

1h

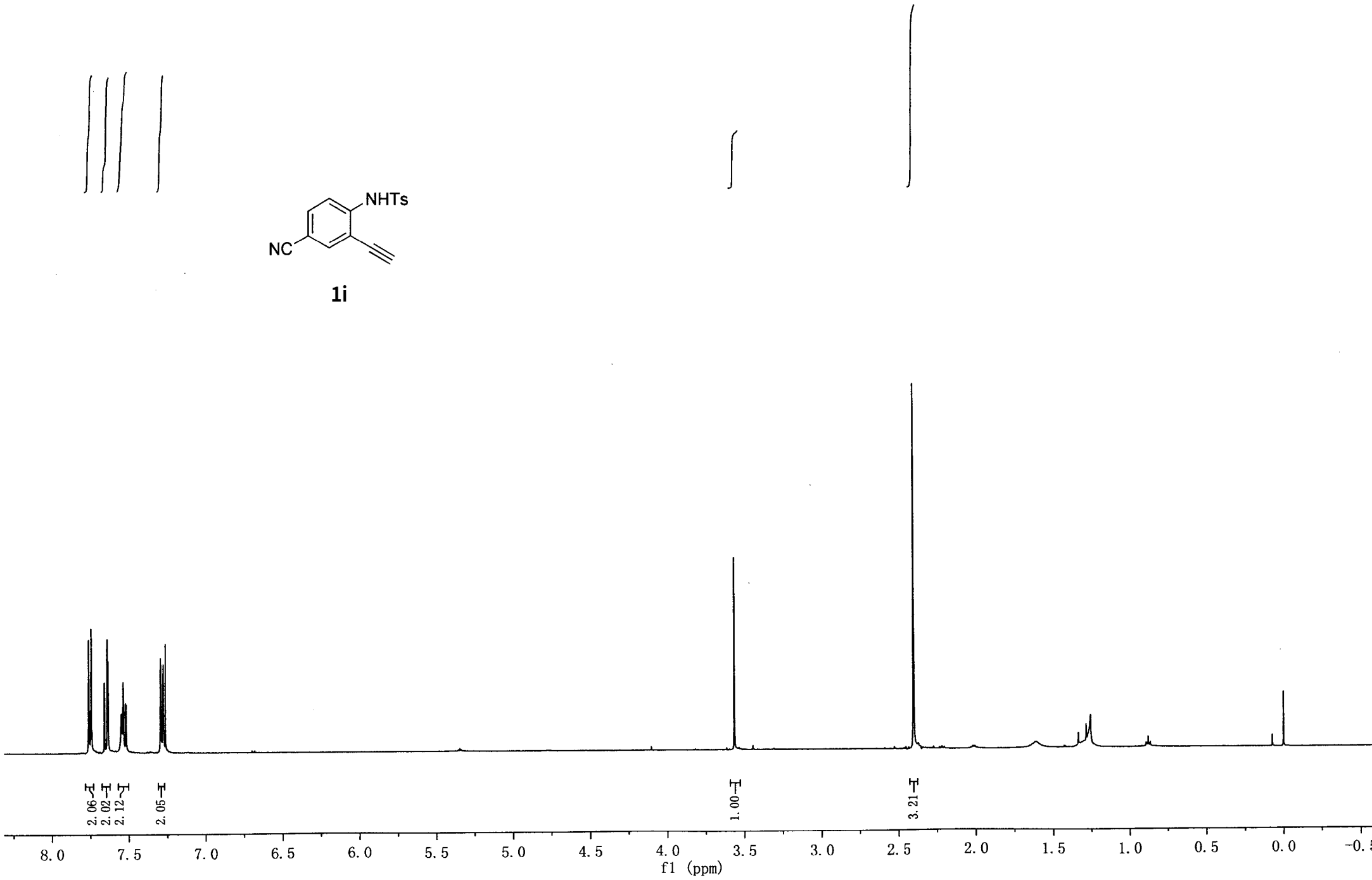

H
N
Ts
F3C

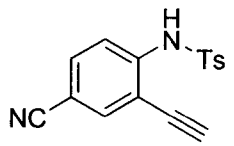
1h


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)

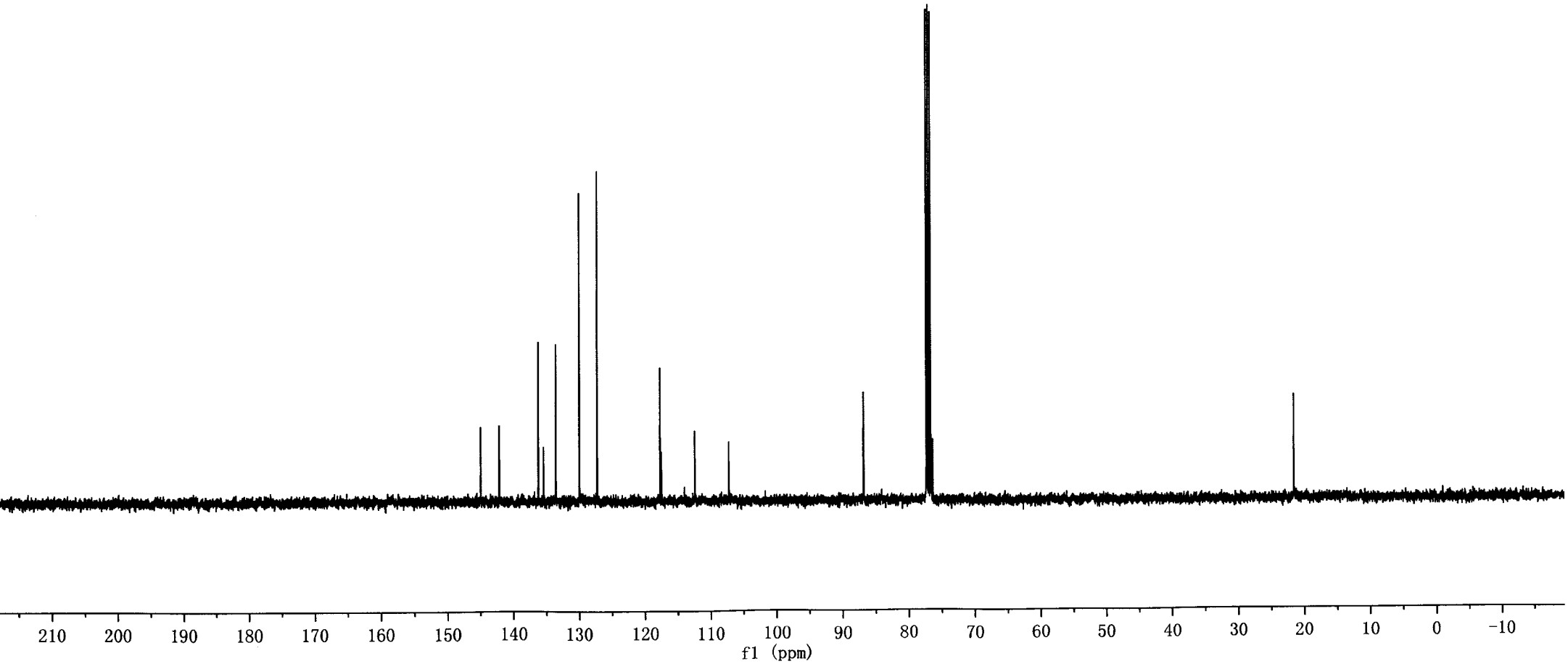
NHTs
NC

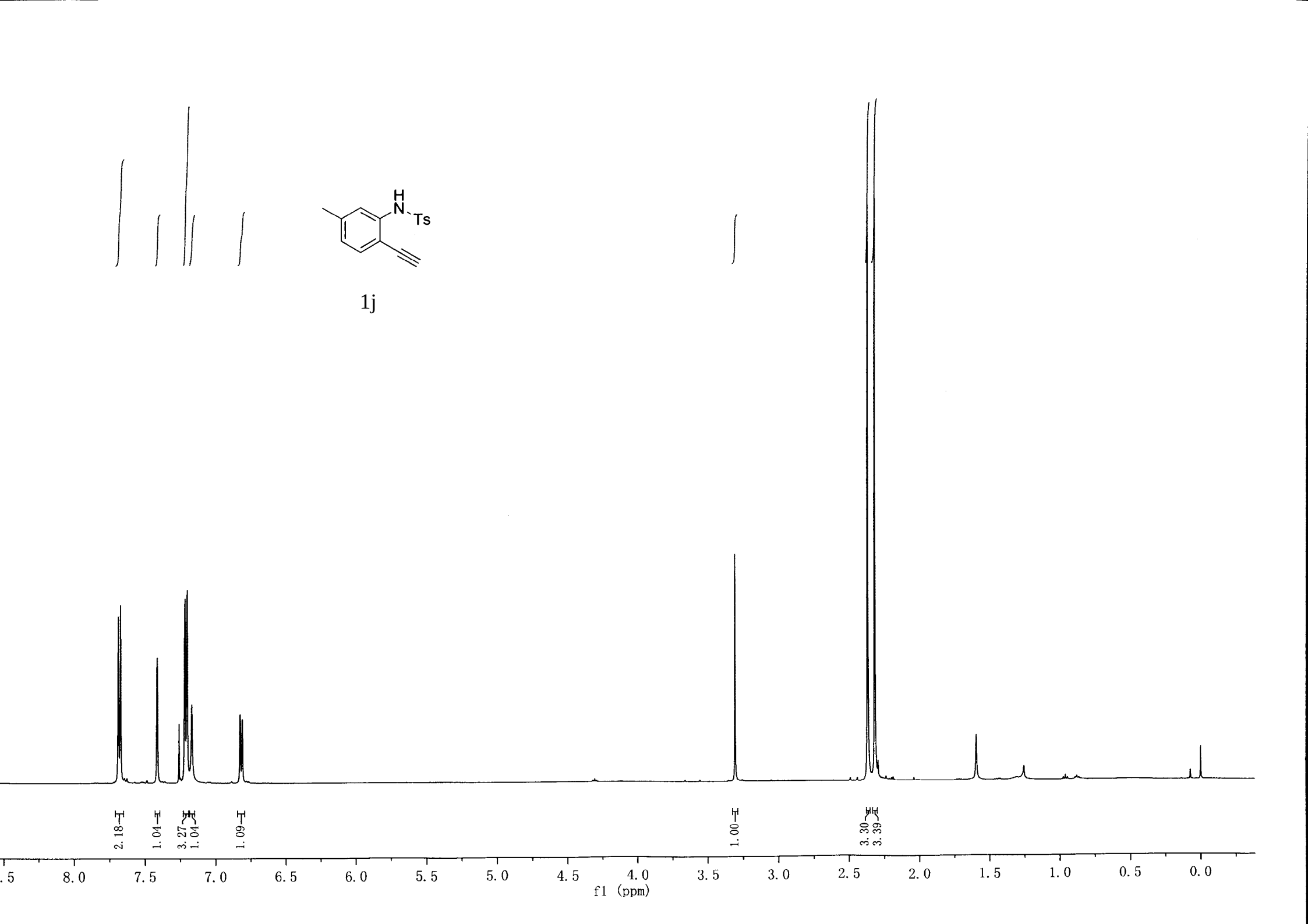
1i

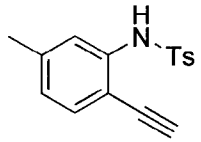

2.06
2.02
2.12
2.05
1.00
3.21
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


H
N
Ts
NC

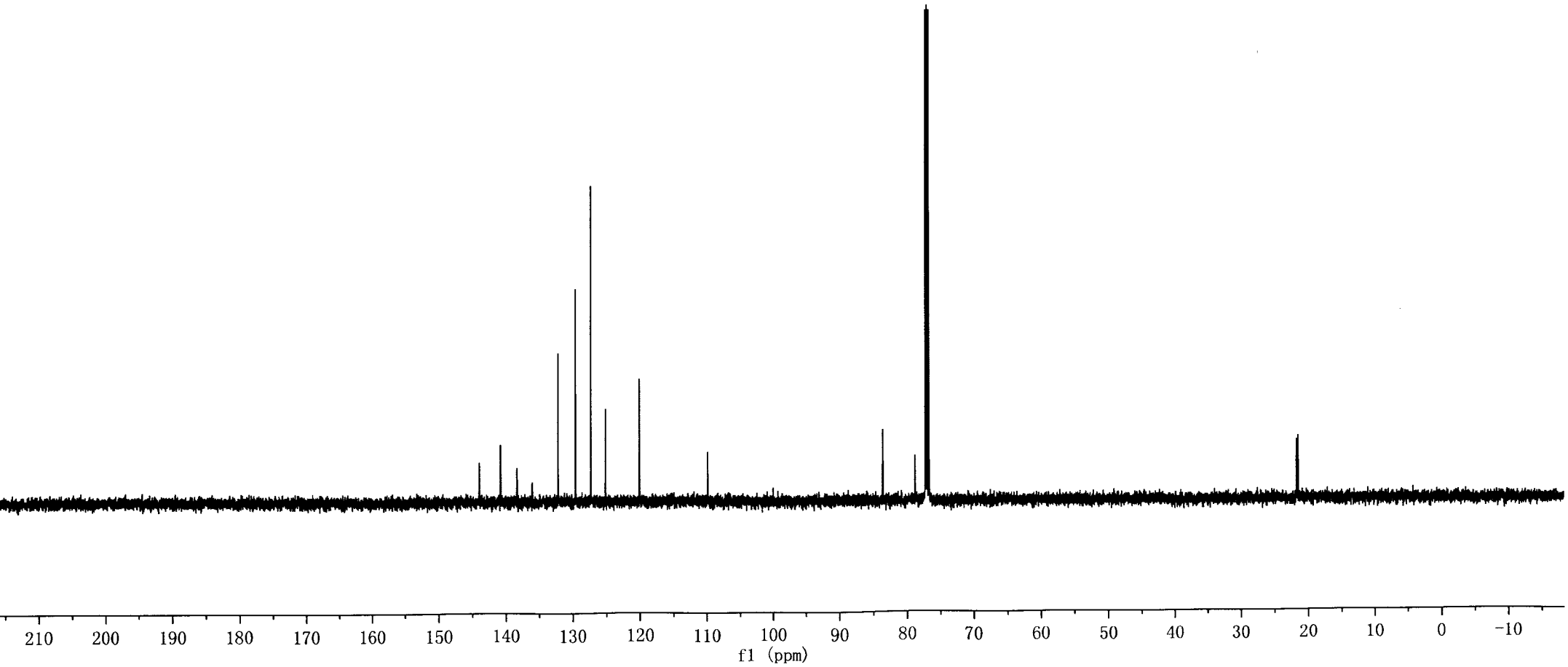
1i


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)


H
N
Ts
1j
2.18
1.04
3.27
1.04
1.09
1.00
3.30
3.39
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)


H
N
Ts

1j


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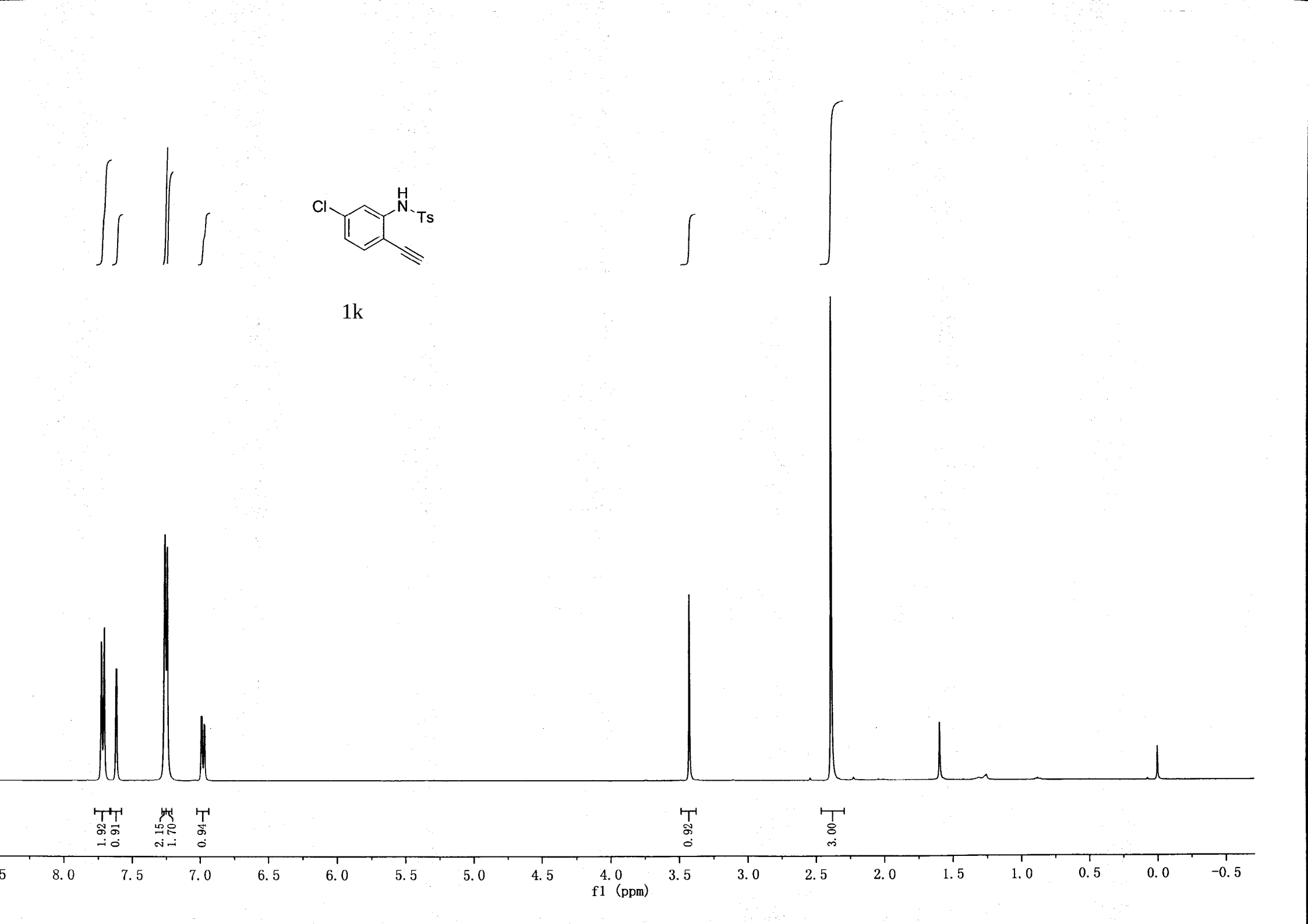
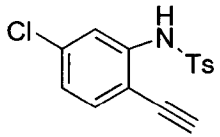
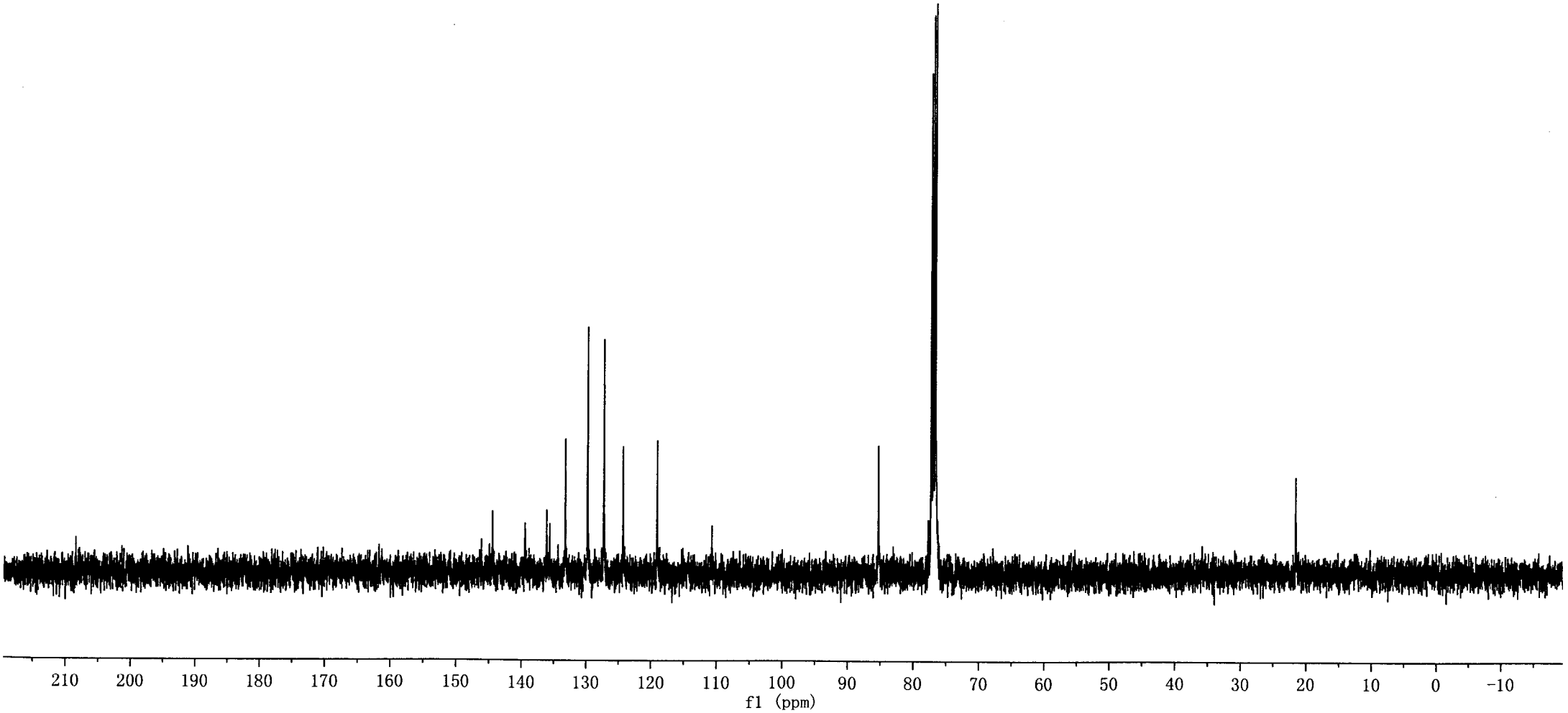
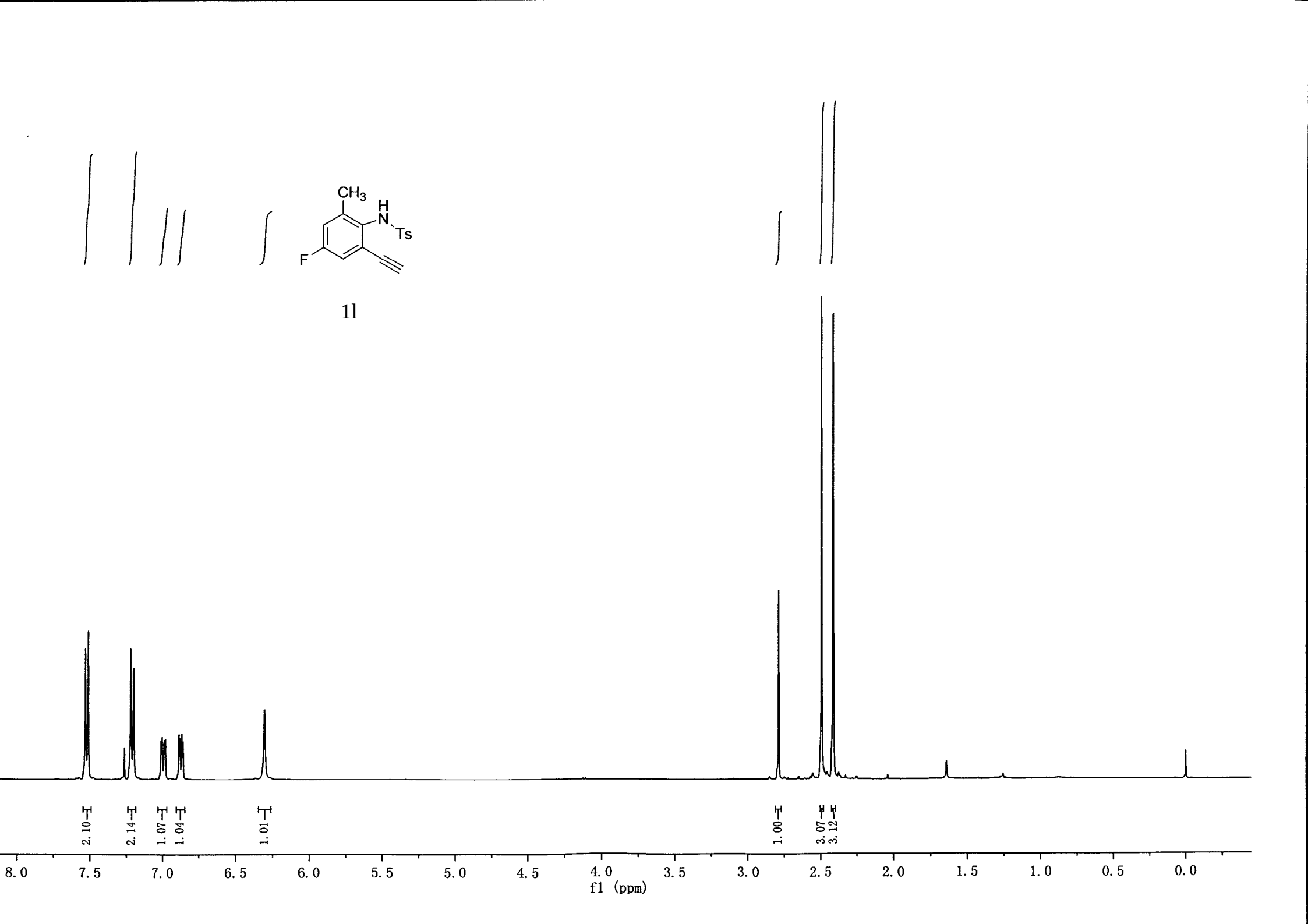
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)


Cl
H
N
Ts
1k
1.92
0.91
2.15
1.70
0.94
0.92
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)

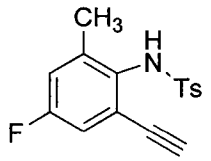

Cl
H
N
Ts

1k

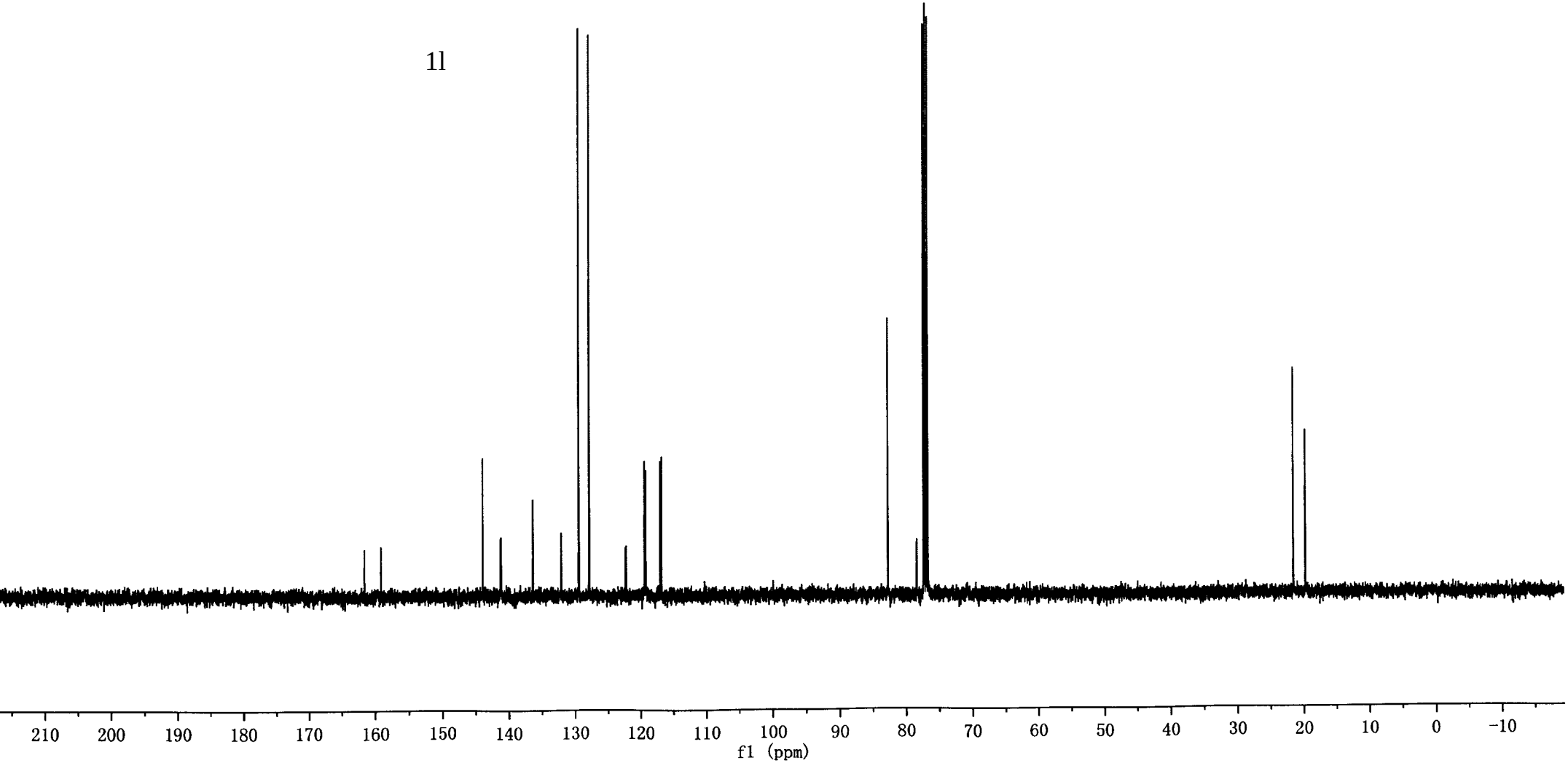

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


CH3
H
N
Ts
F
2.10
2.14
1.07
1.04
1.01
1.00
3.07
3.12
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)

1l

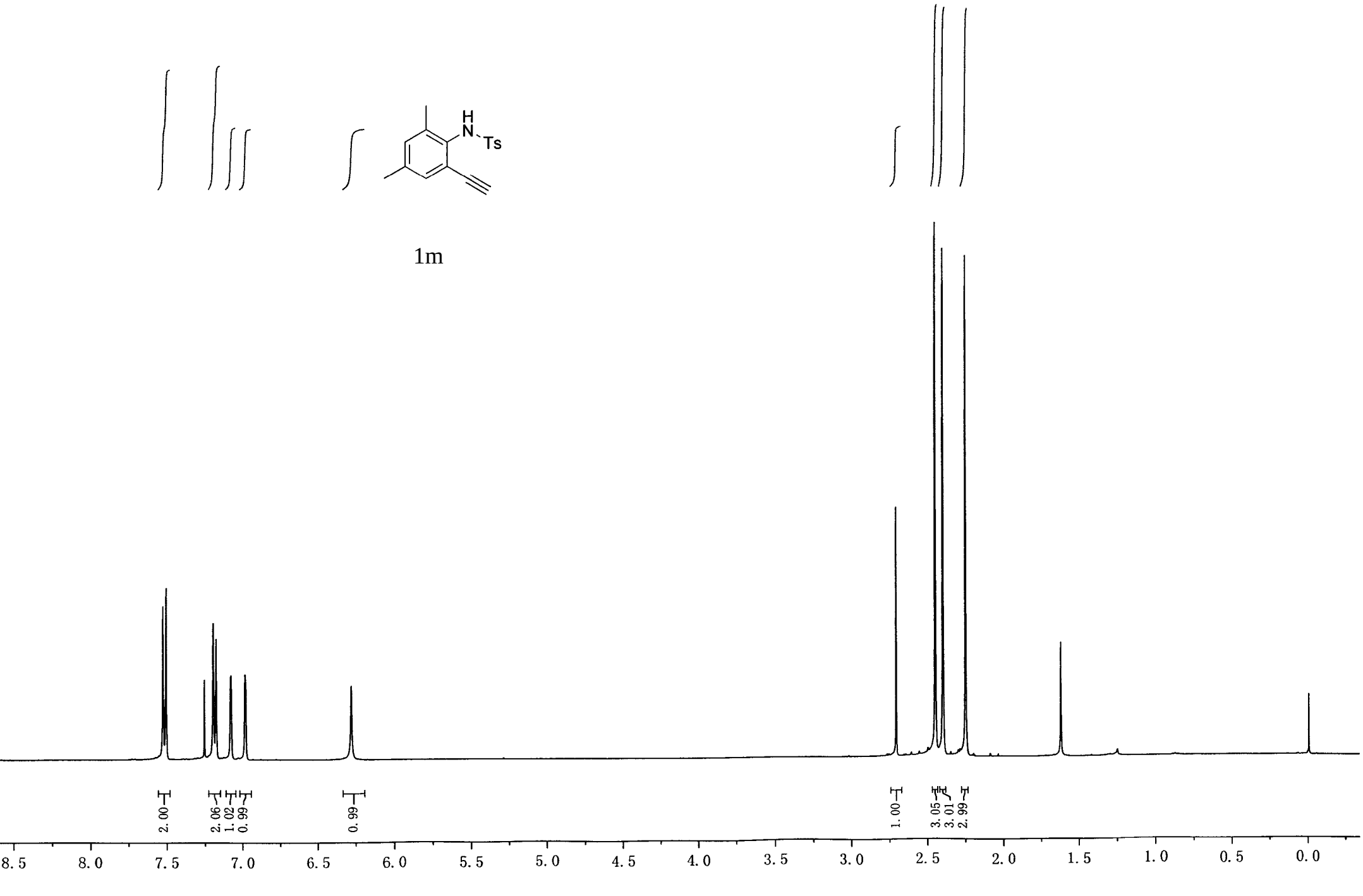

CH3
H
N
Ts
F

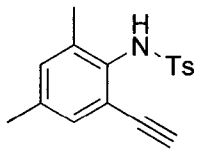
1l


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)

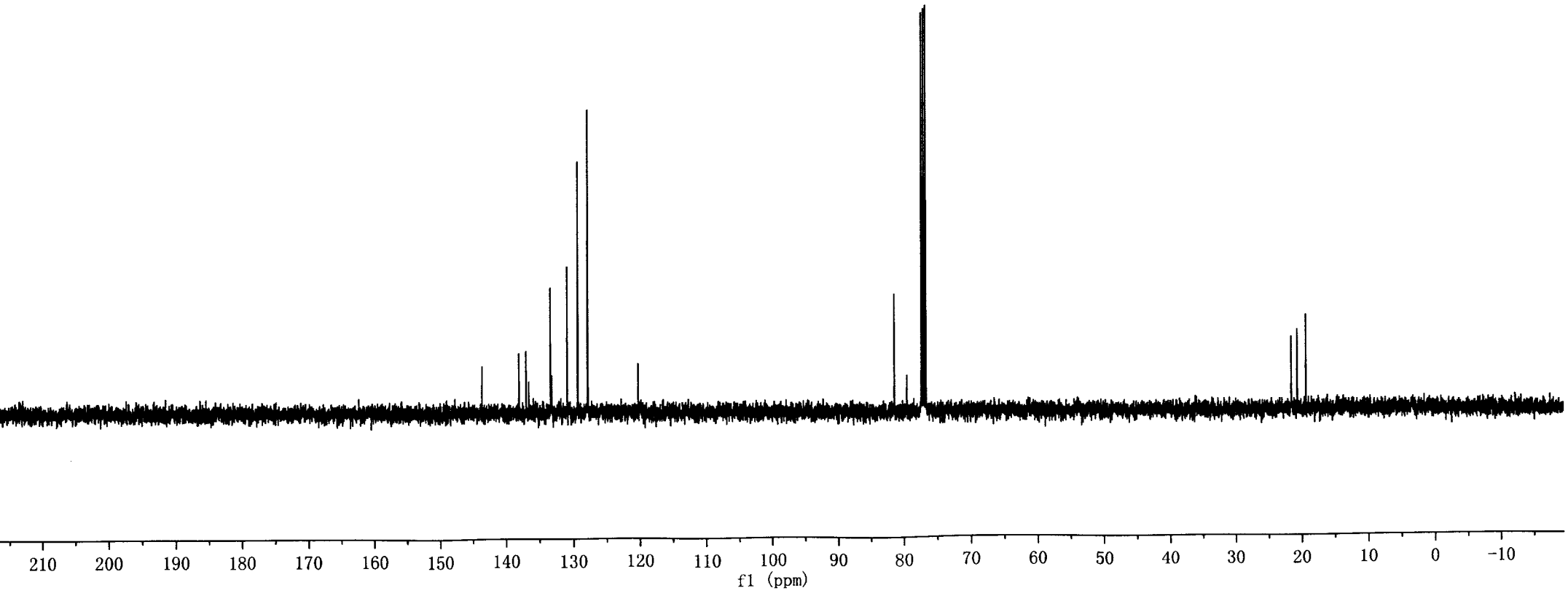
H
N
Ts

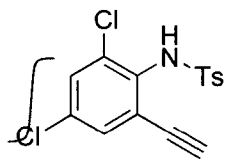
1m


2.00
2.06
1.02
0.99
0.99
1.00
3.05
3.01
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

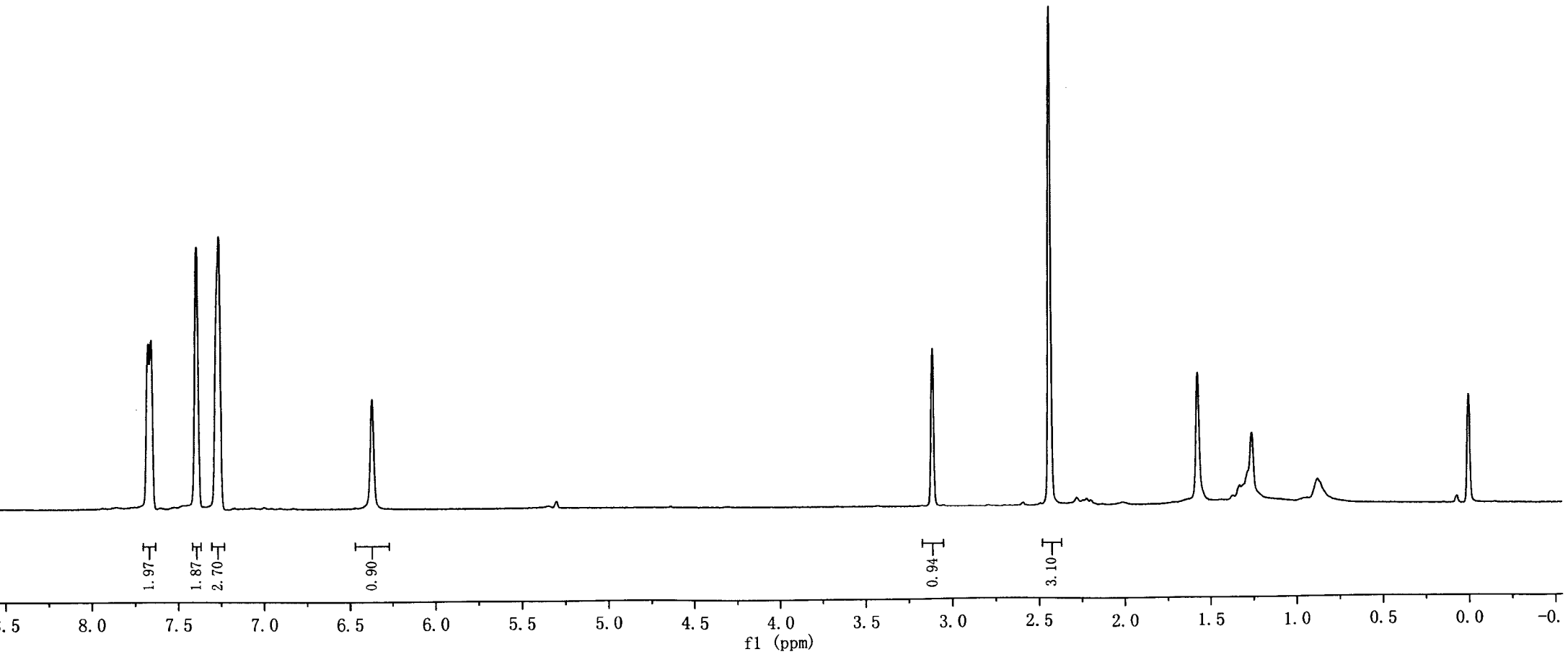

H
N
Ts

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)

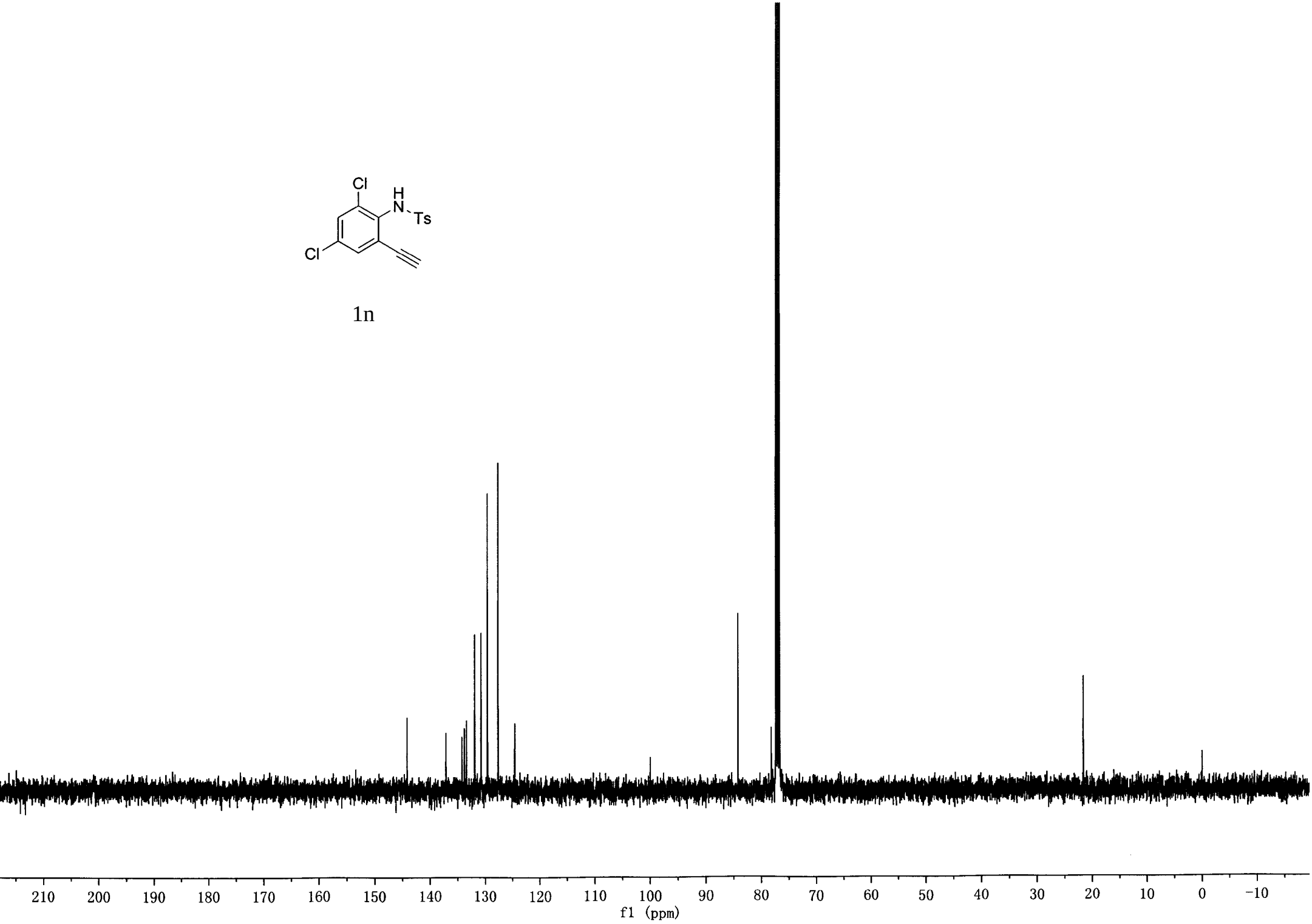

Cl
H
N
Ts
Cl

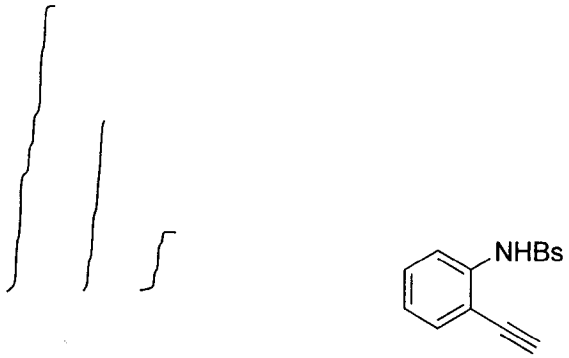
1n


1.97
1.87
2.70
0.90
0.94
3.10
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)

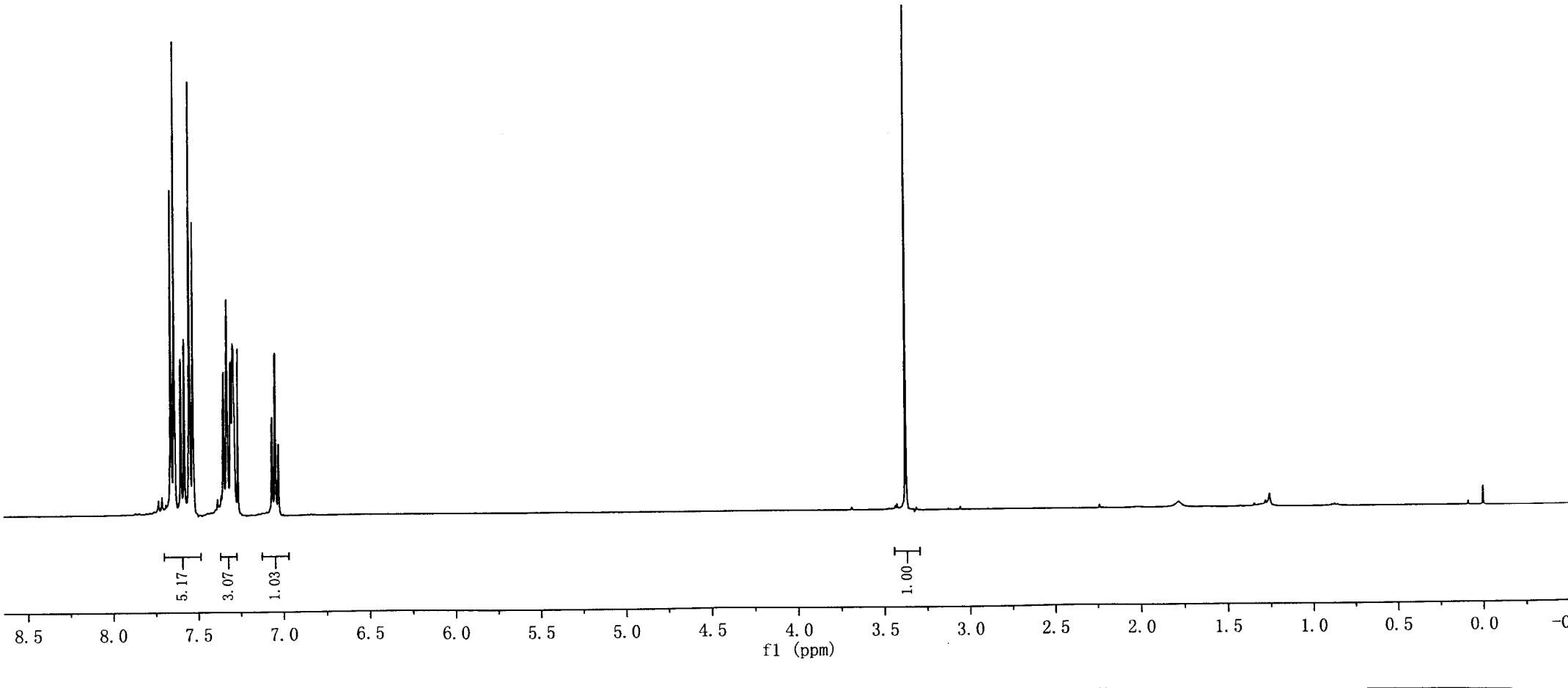
Cl
H
N
Ts
Cl

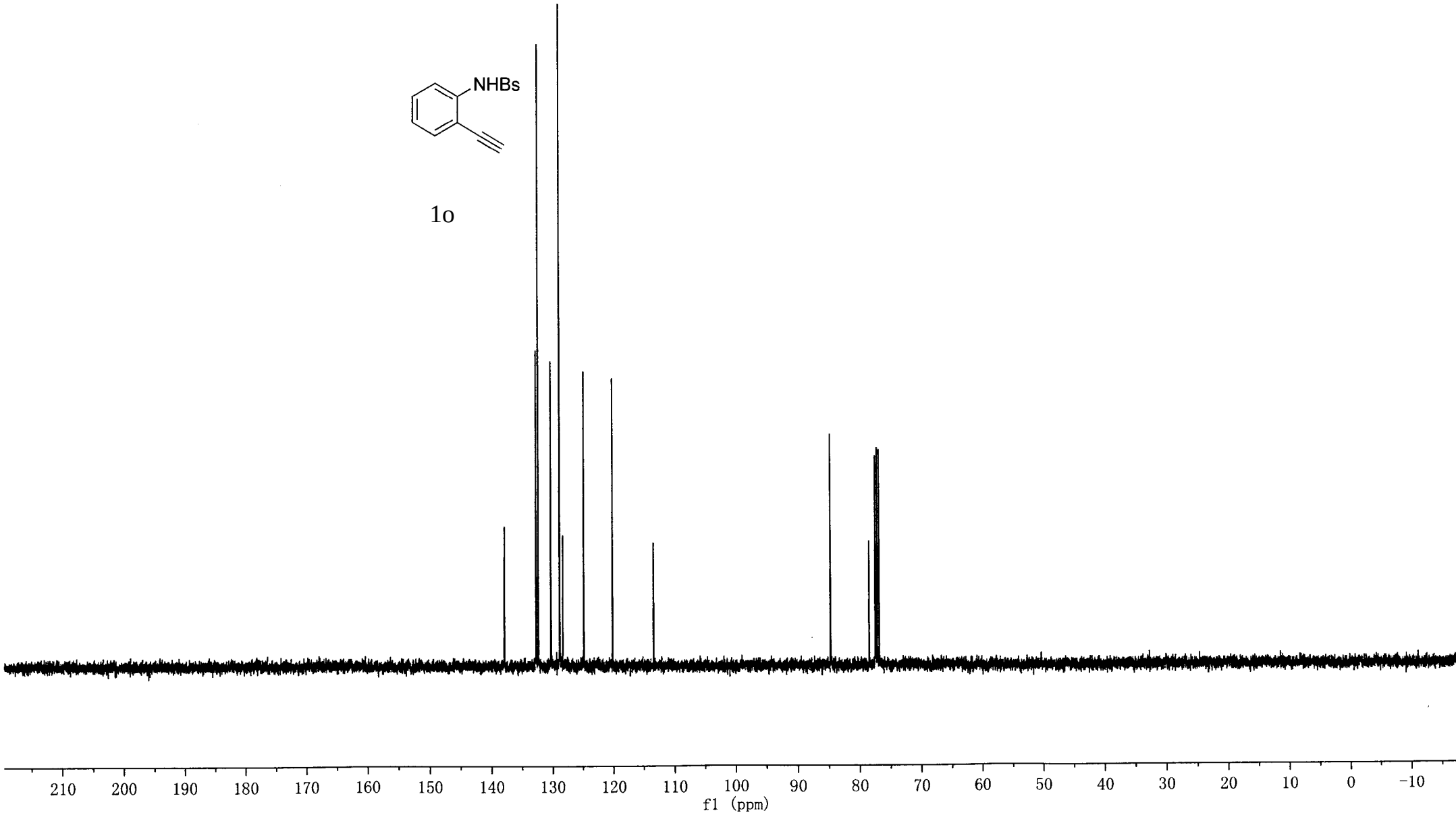
1n

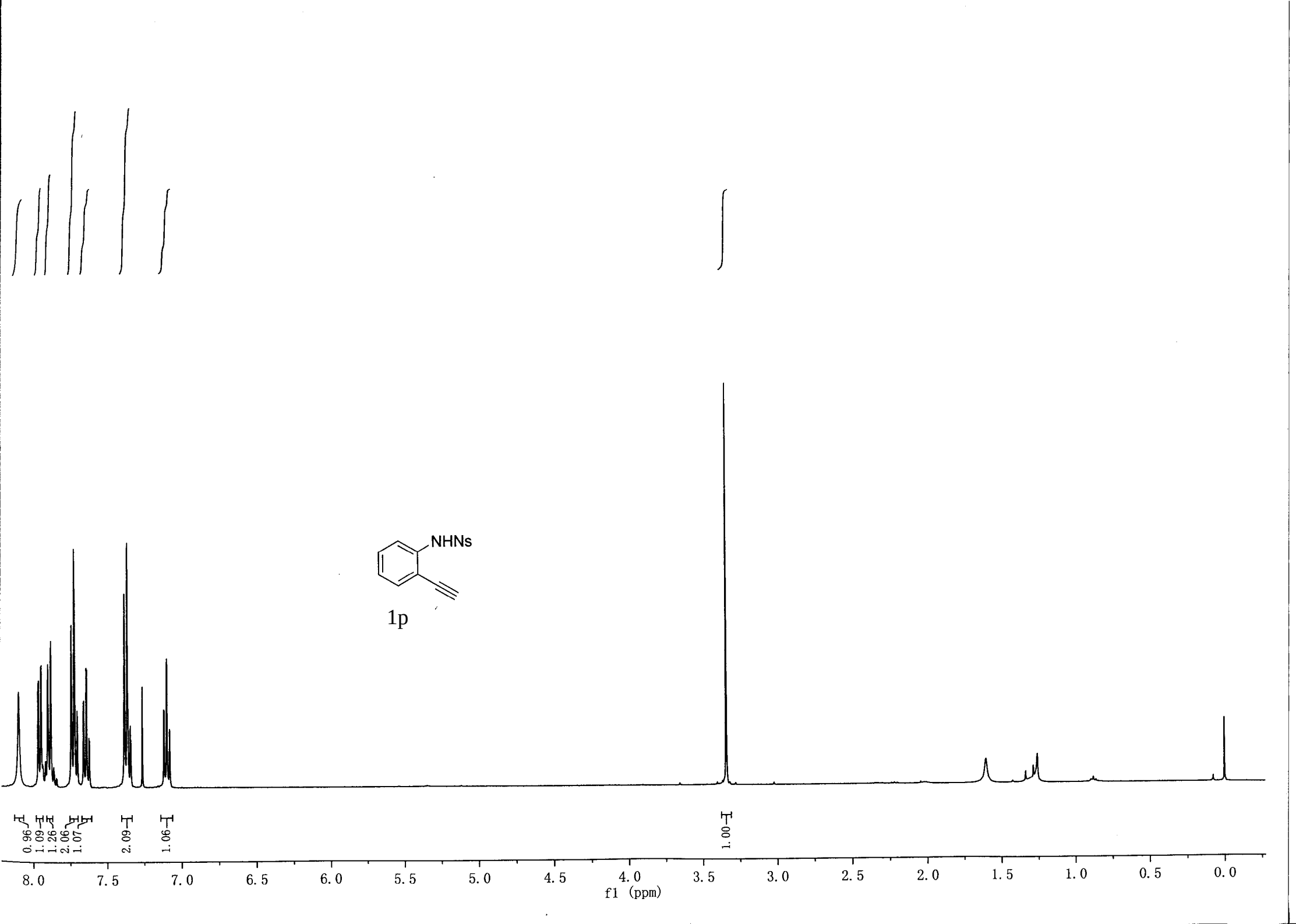

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

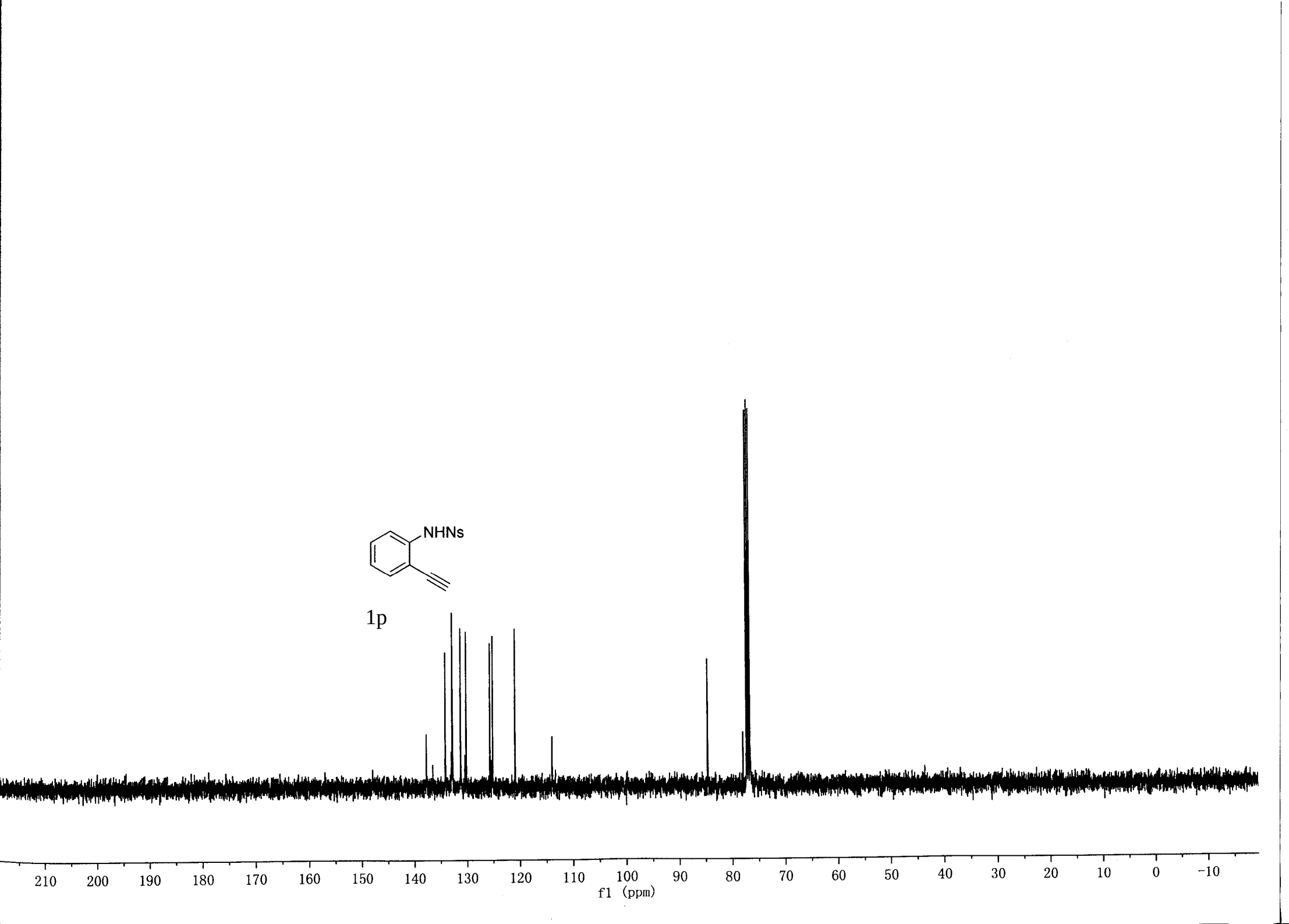

NHBs

1o


5.17
3.07
1.03
1.00
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)

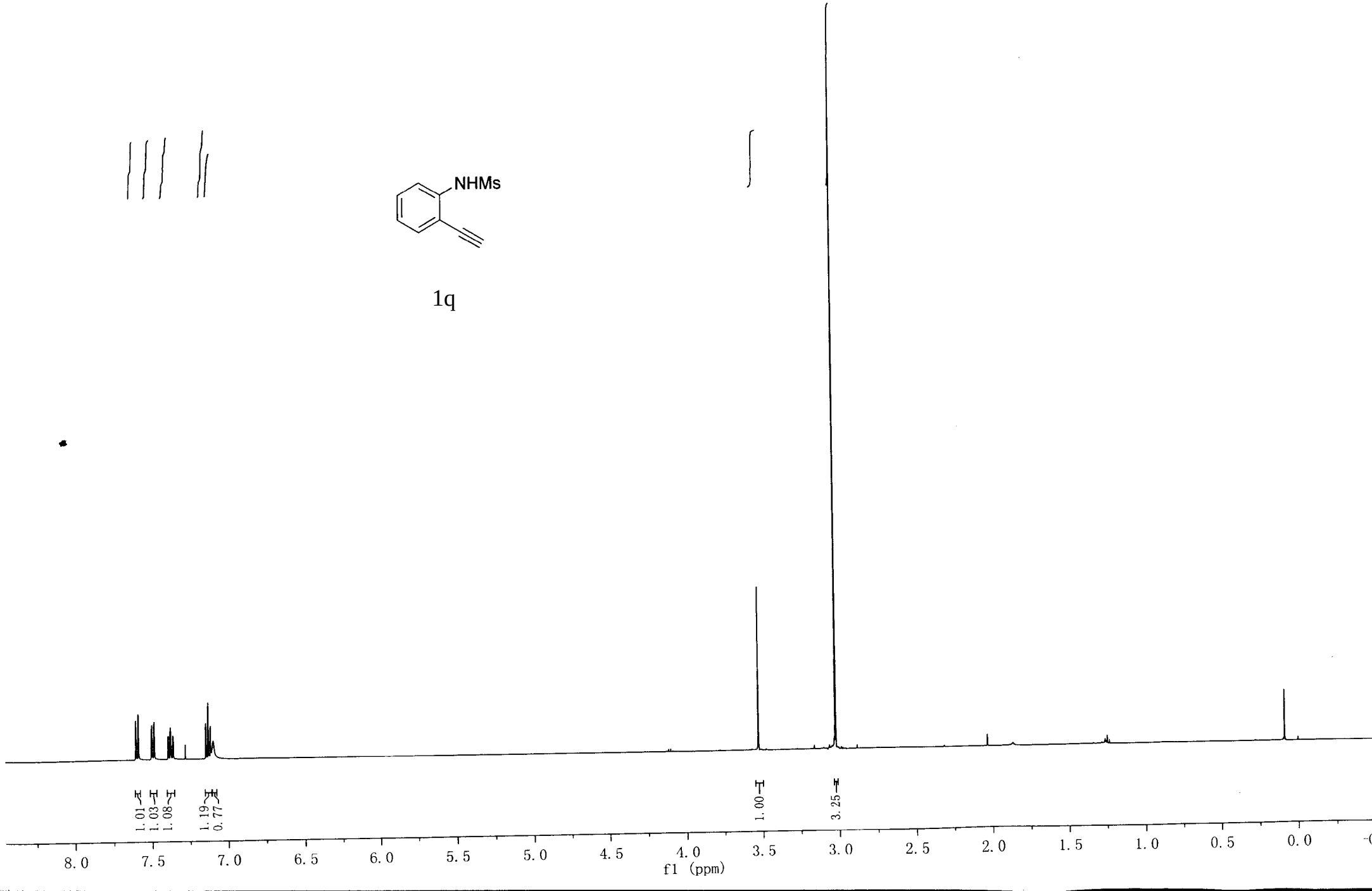

NHBs
1o
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

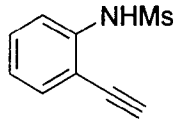

NHNs
1p
0.96
1.09
1.26
2.06
1.07
2.09
1.06
1.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


NHNs
1p
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)

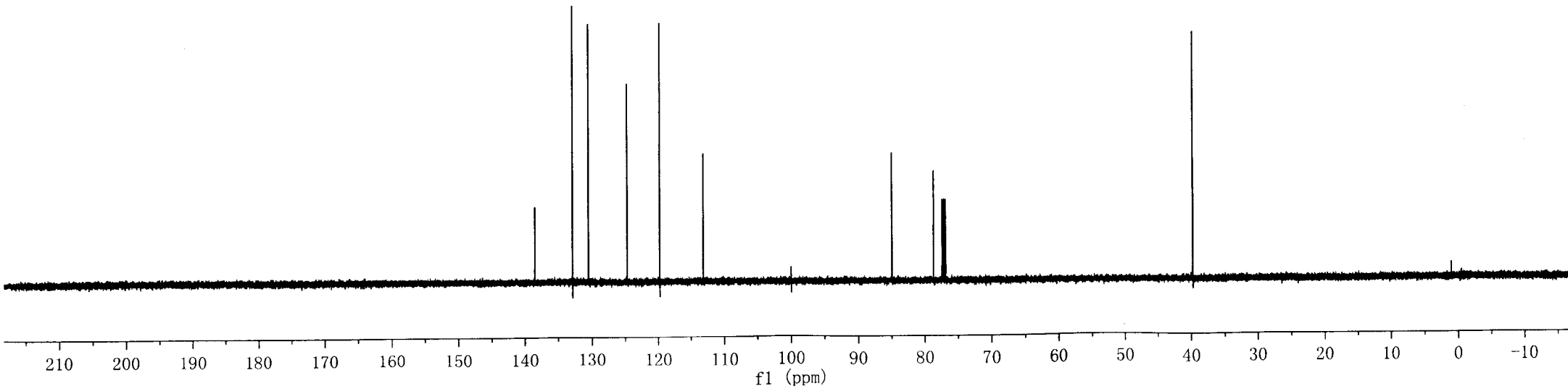
NHMs

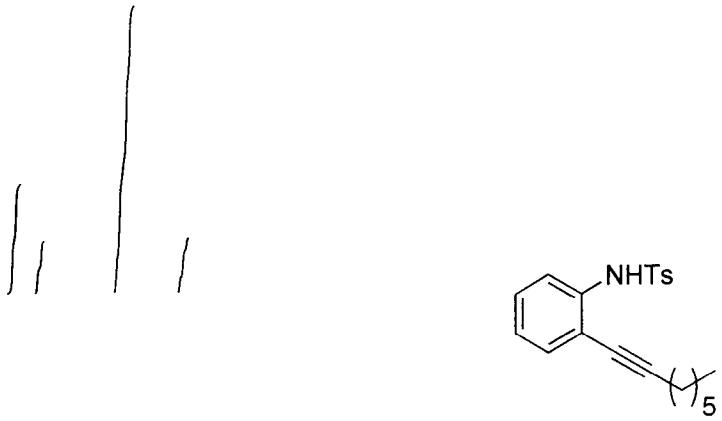
1q


1.01
1.03
1.08
1.19
0.77
1.00
3.25
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)

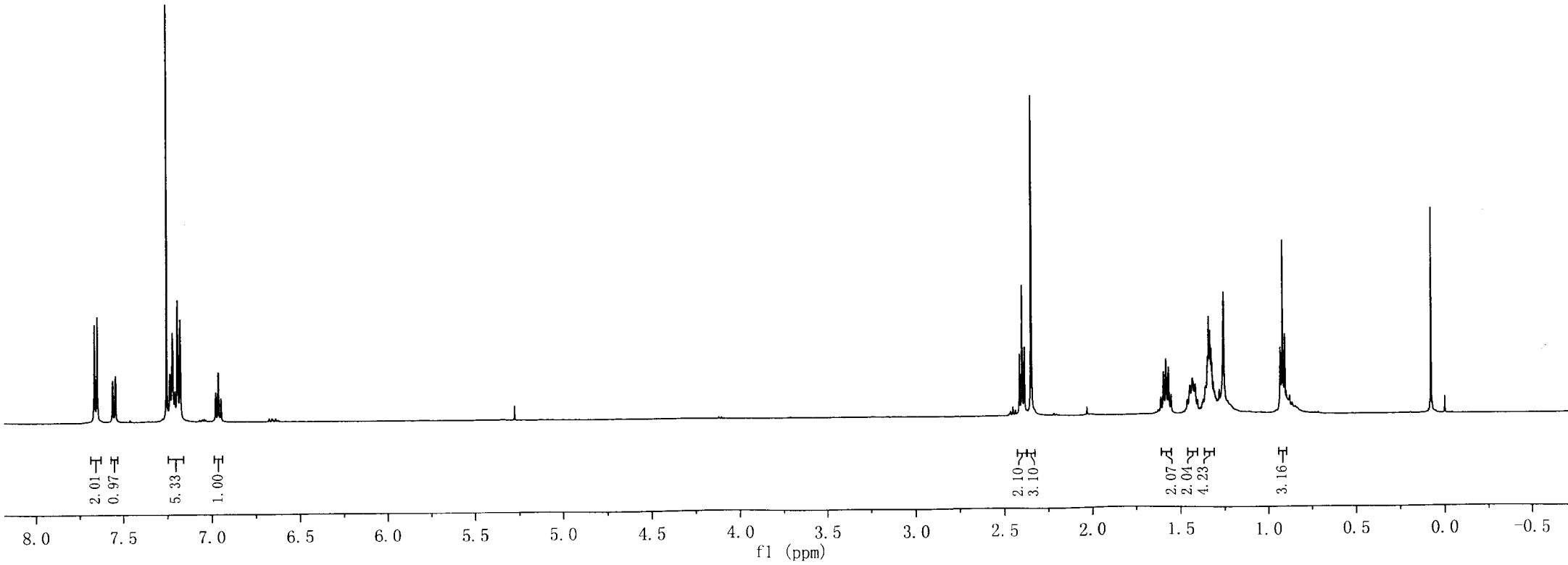

NHMs

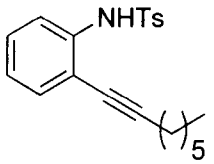
1q


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)

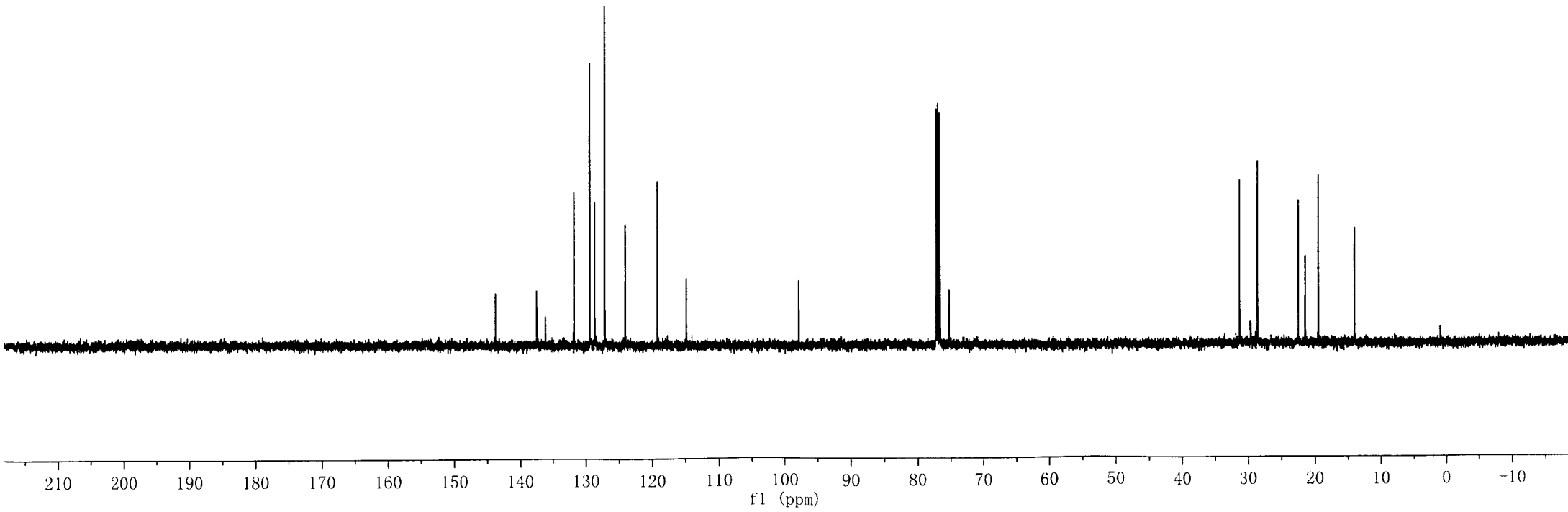

NHTs
5

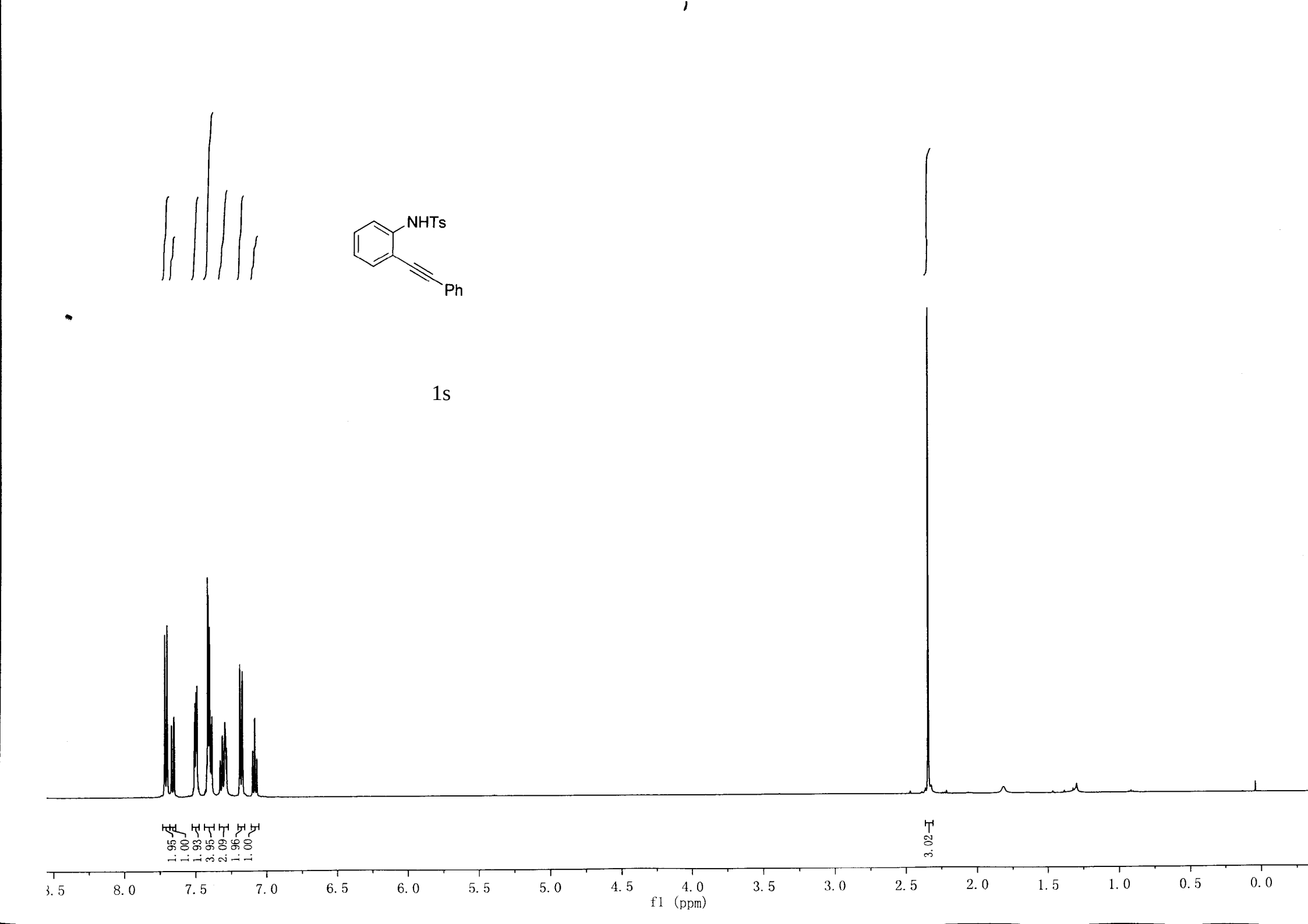
1r


2.01
0.97
5.33
1.00
2.10
3.10
2.07
2.04
4.23
3.16
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)


NHTs
5

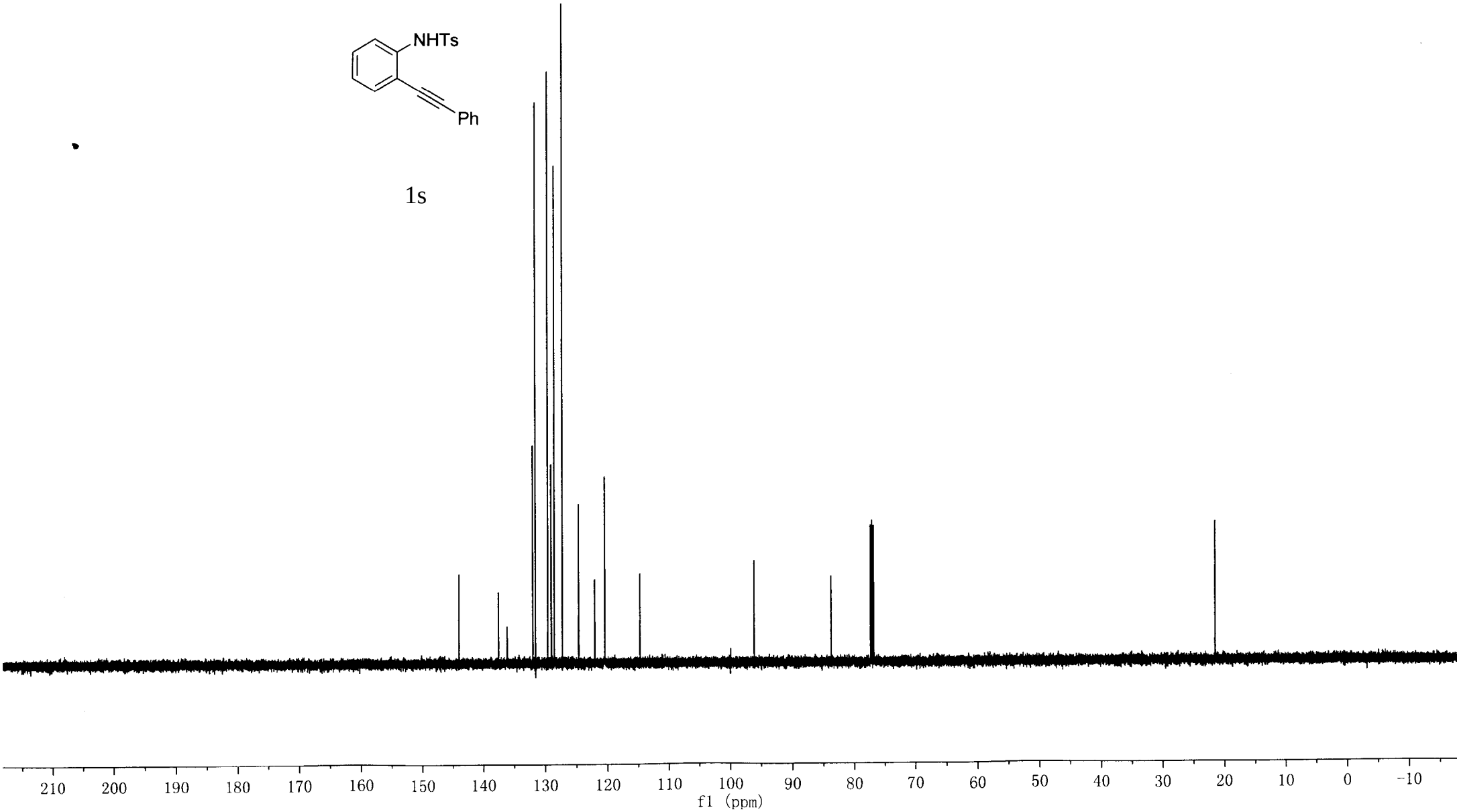
1r

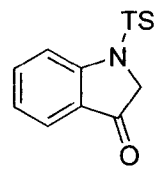

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


NHTs
Ph
1s
1.95
1.00
1.93
3.95
2.09
1.96
1.00
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)

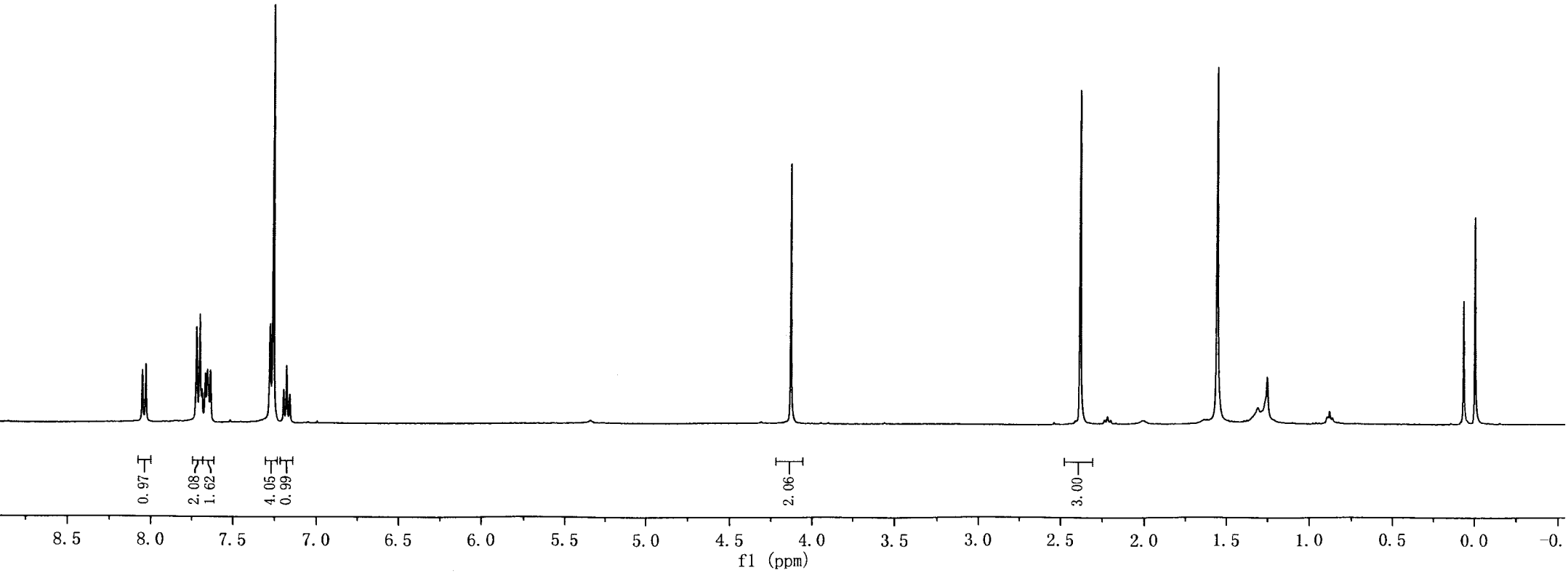
NHTs
Ph

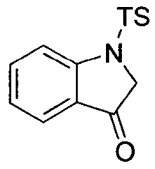
1s


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)

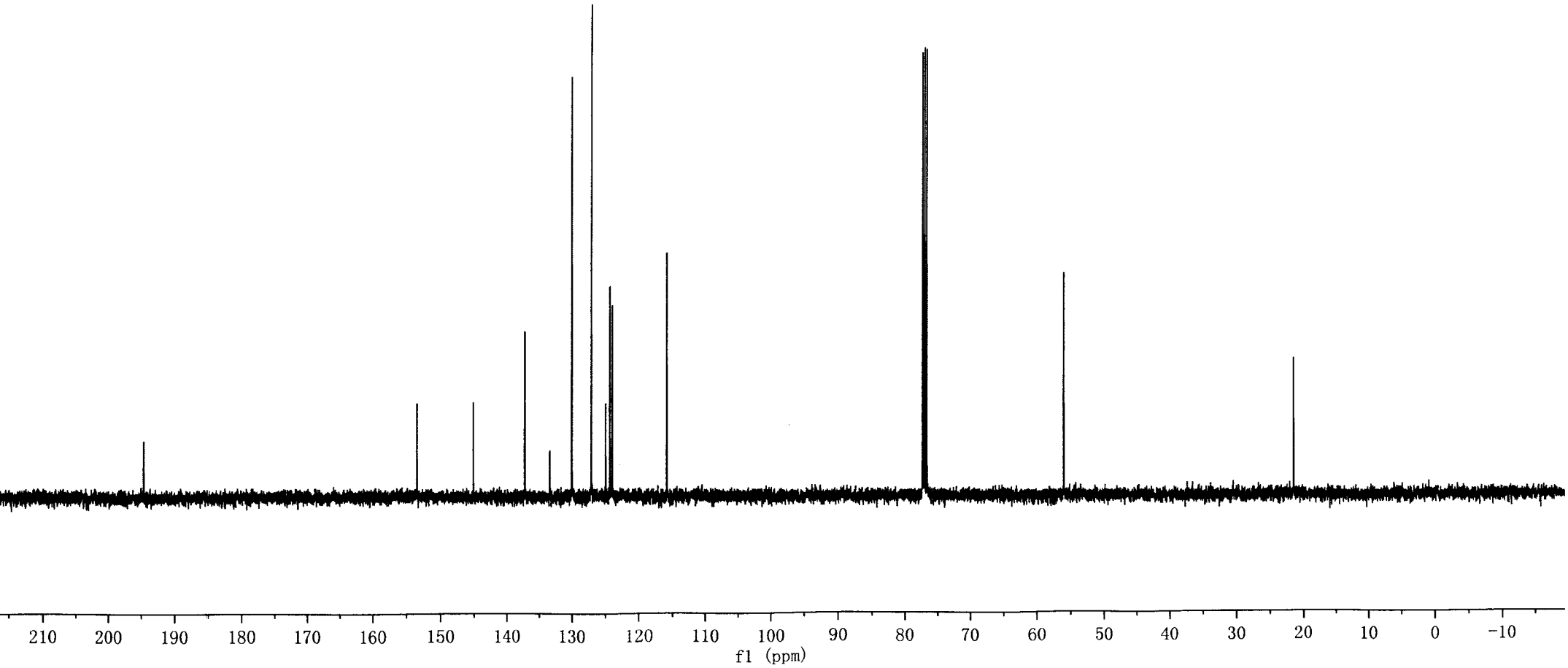

TS
N
O

3a


0.97
2.08
1.62
4.05
0.99
2.06
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)

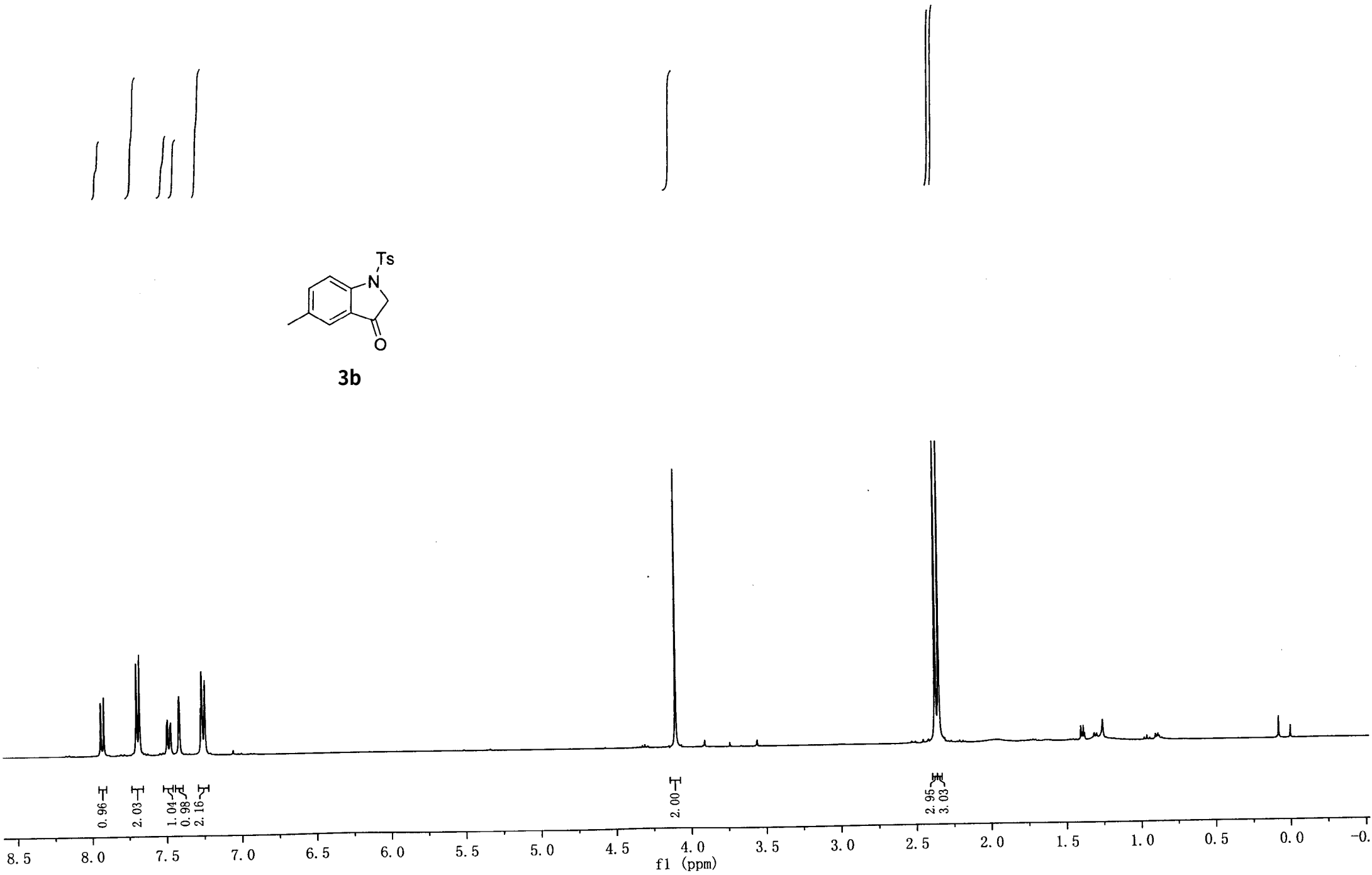

TS
N
O

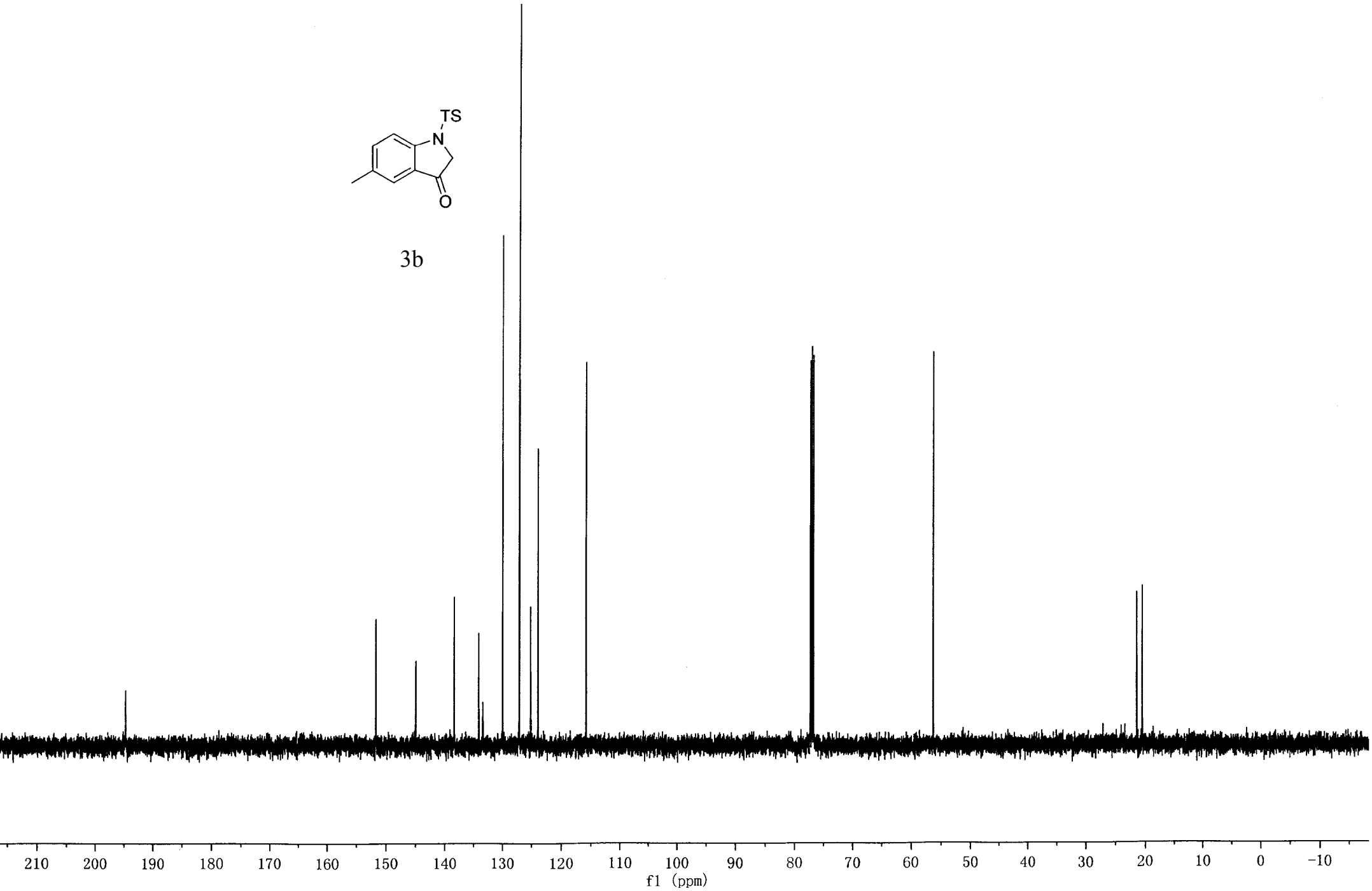
3a

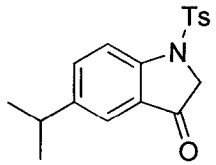

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

Ts
N
O

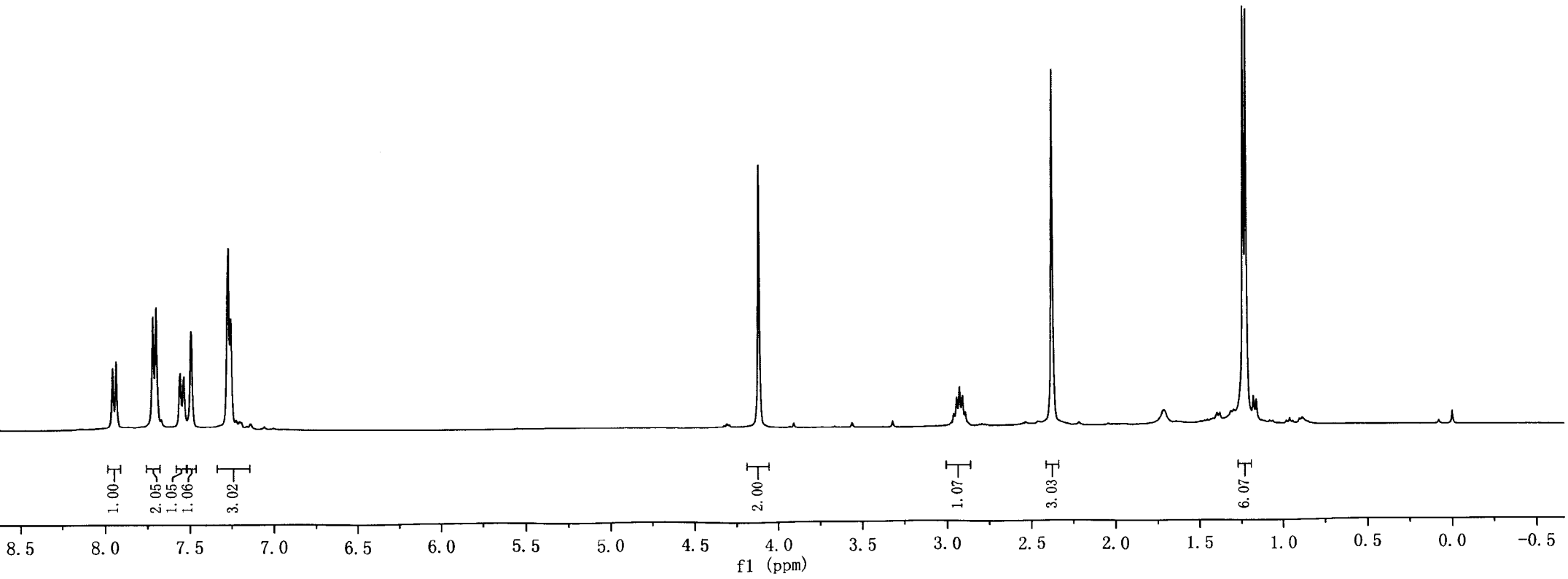
3b

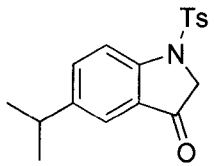

0.96
2.03
1.04
0.98
2.16
2.00
2.95
3.03
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


TS
N
O
3b
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)

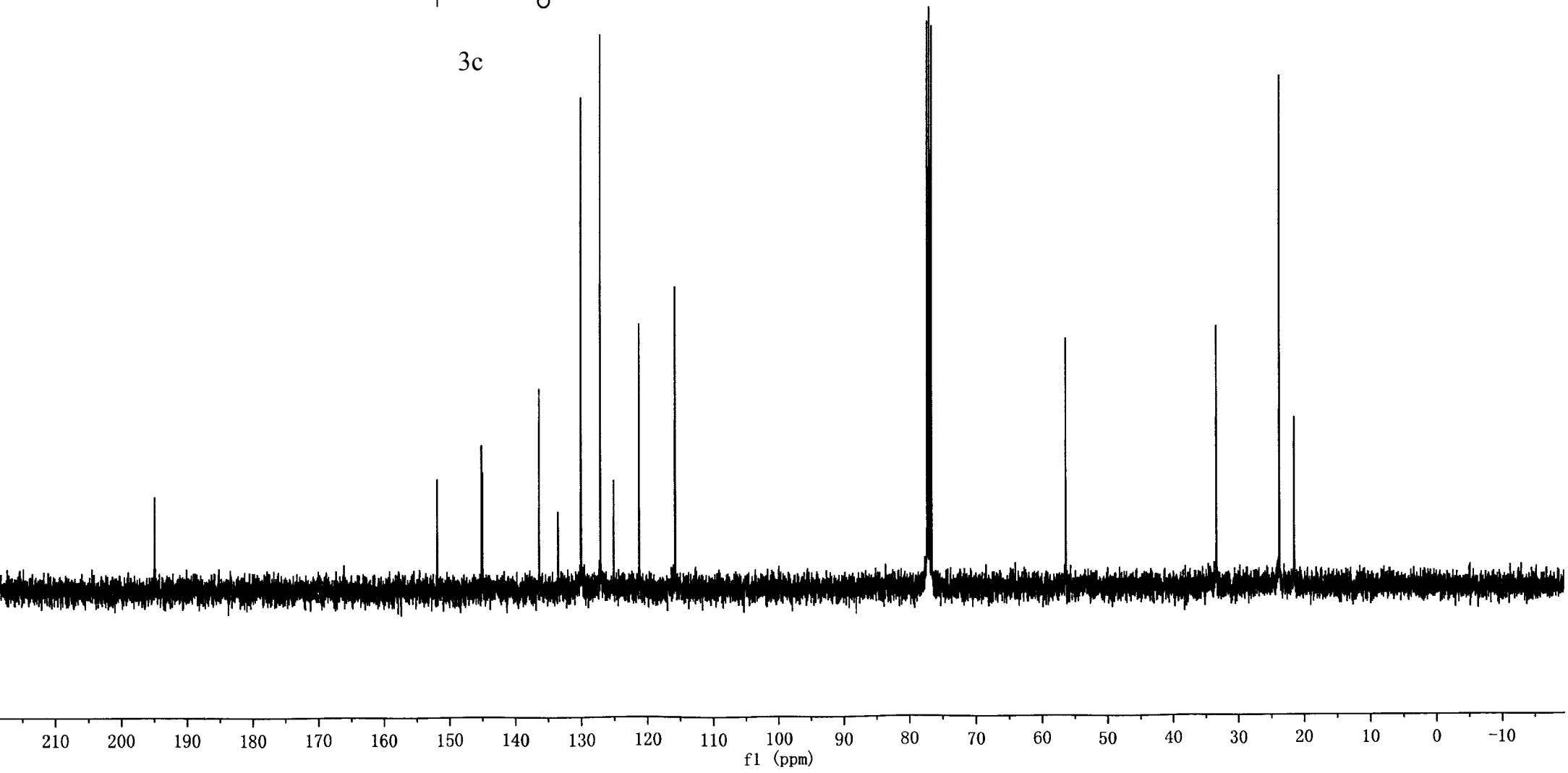

Ts
N
O

3c


1.00
2.05
1.05
1.06
3.02
2.00
1.07
3.03
6.07
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
-0.5
f1 (ppm)

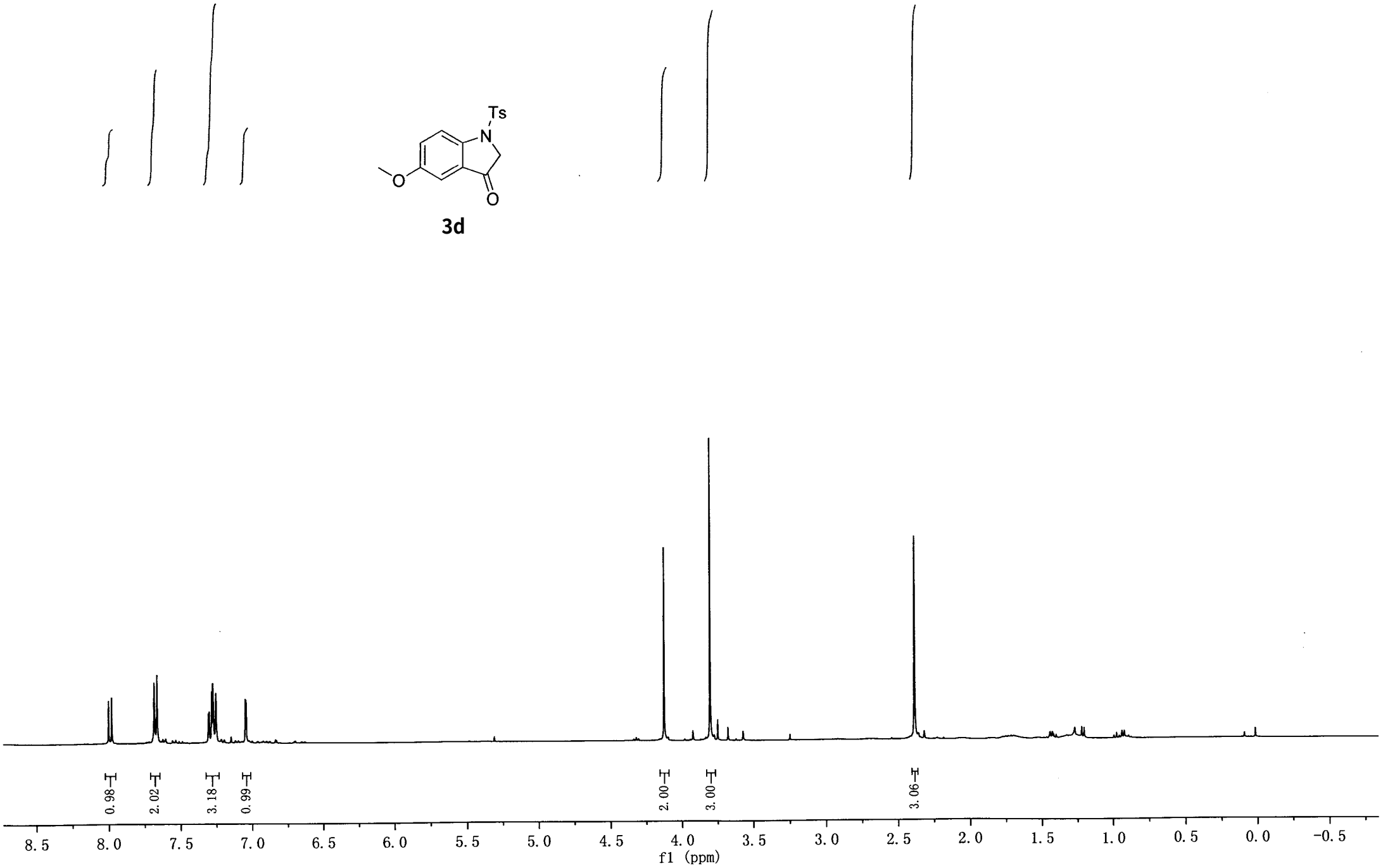

Ts
N
O

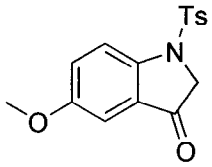
3c


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)

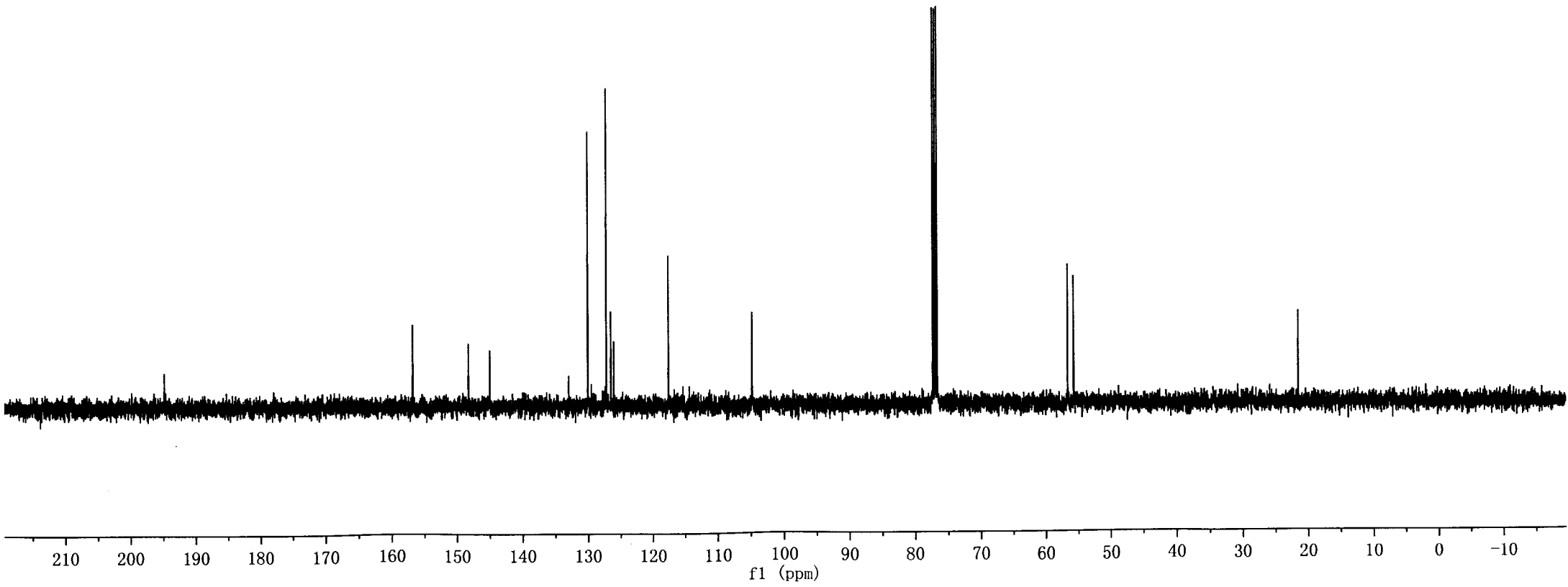
Ts
N
O
O

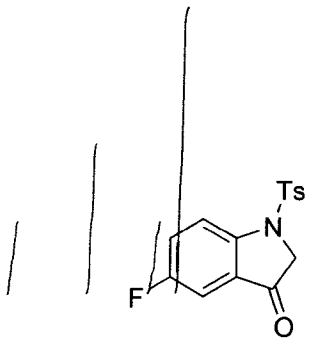
3d


0.98
2.02
3.18
0.99
2.00
3.00
3.06
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)

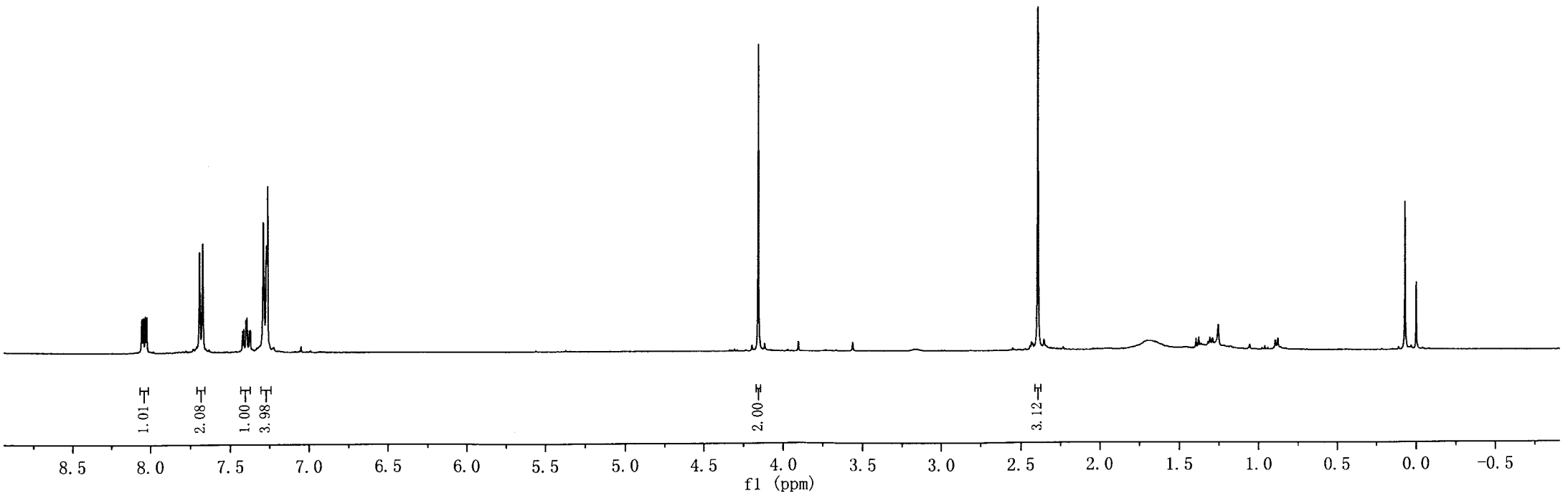

Ts
N
O
O

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)

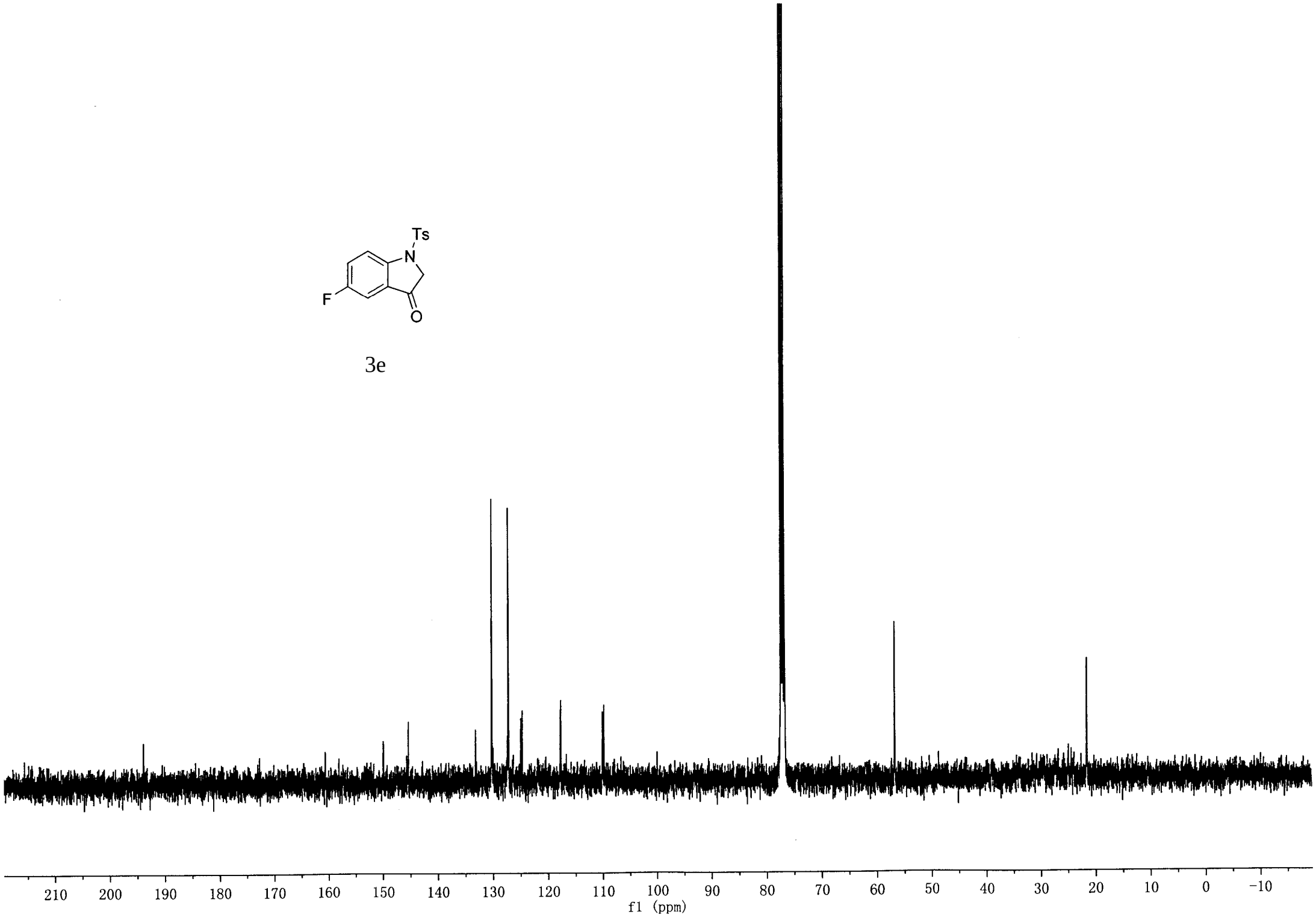

Ts
N
F
O

3e


1.01
2.08
1.00
3.98
2.00
3.12
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)

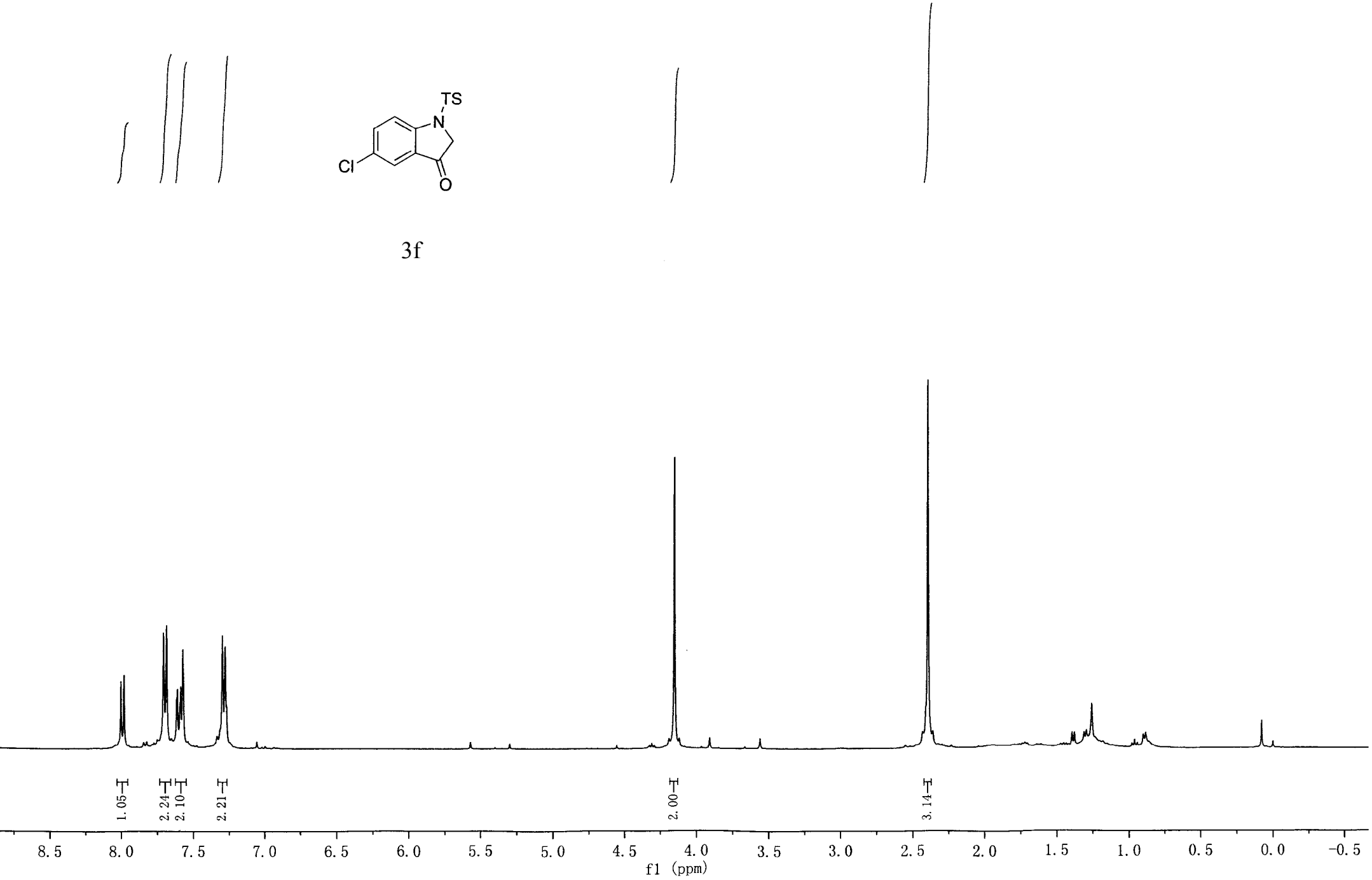
Ts
N
F
O

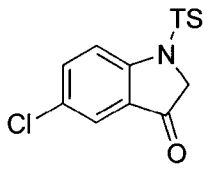
3e


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)

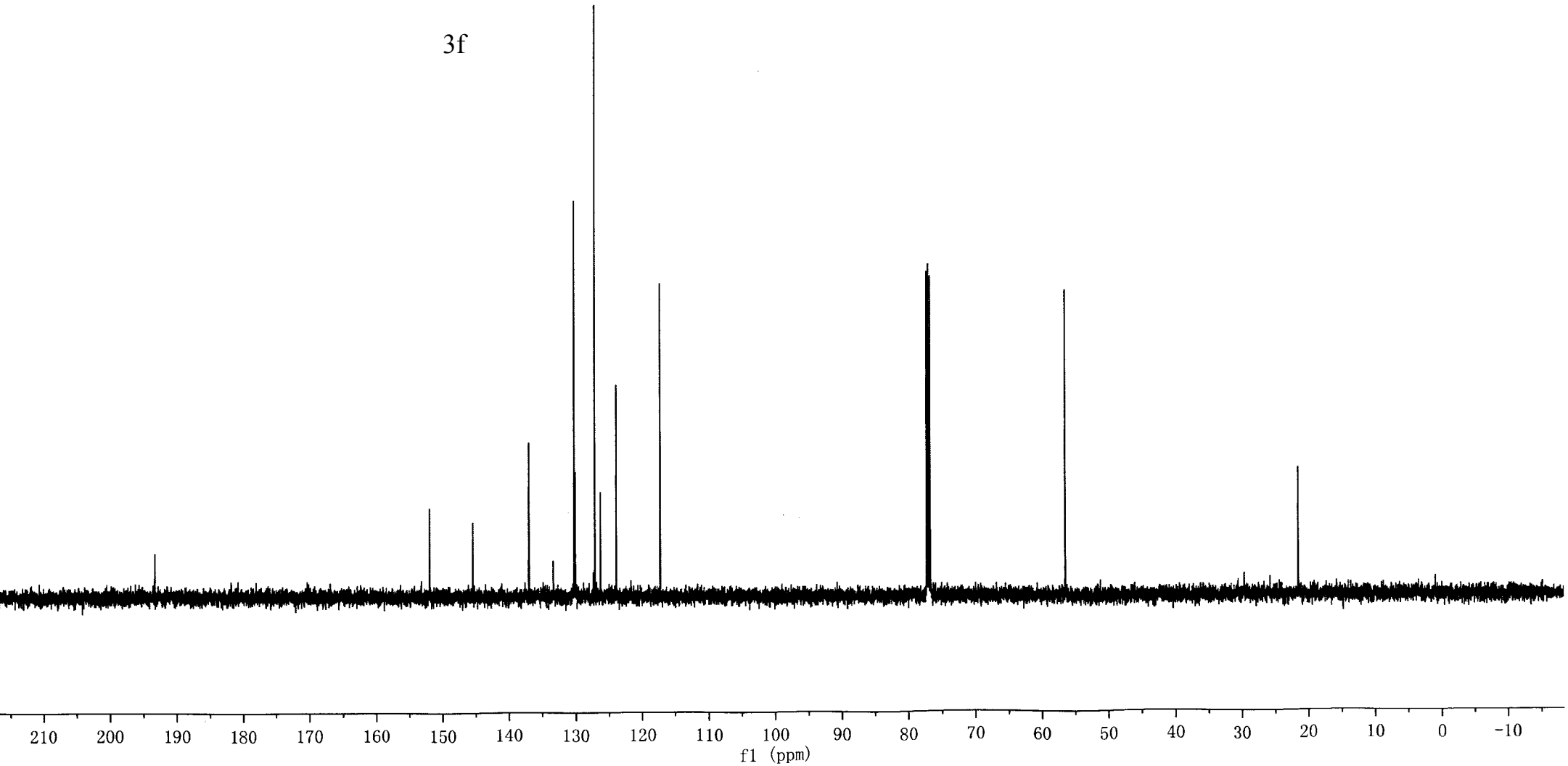
TS
N
Cl
O

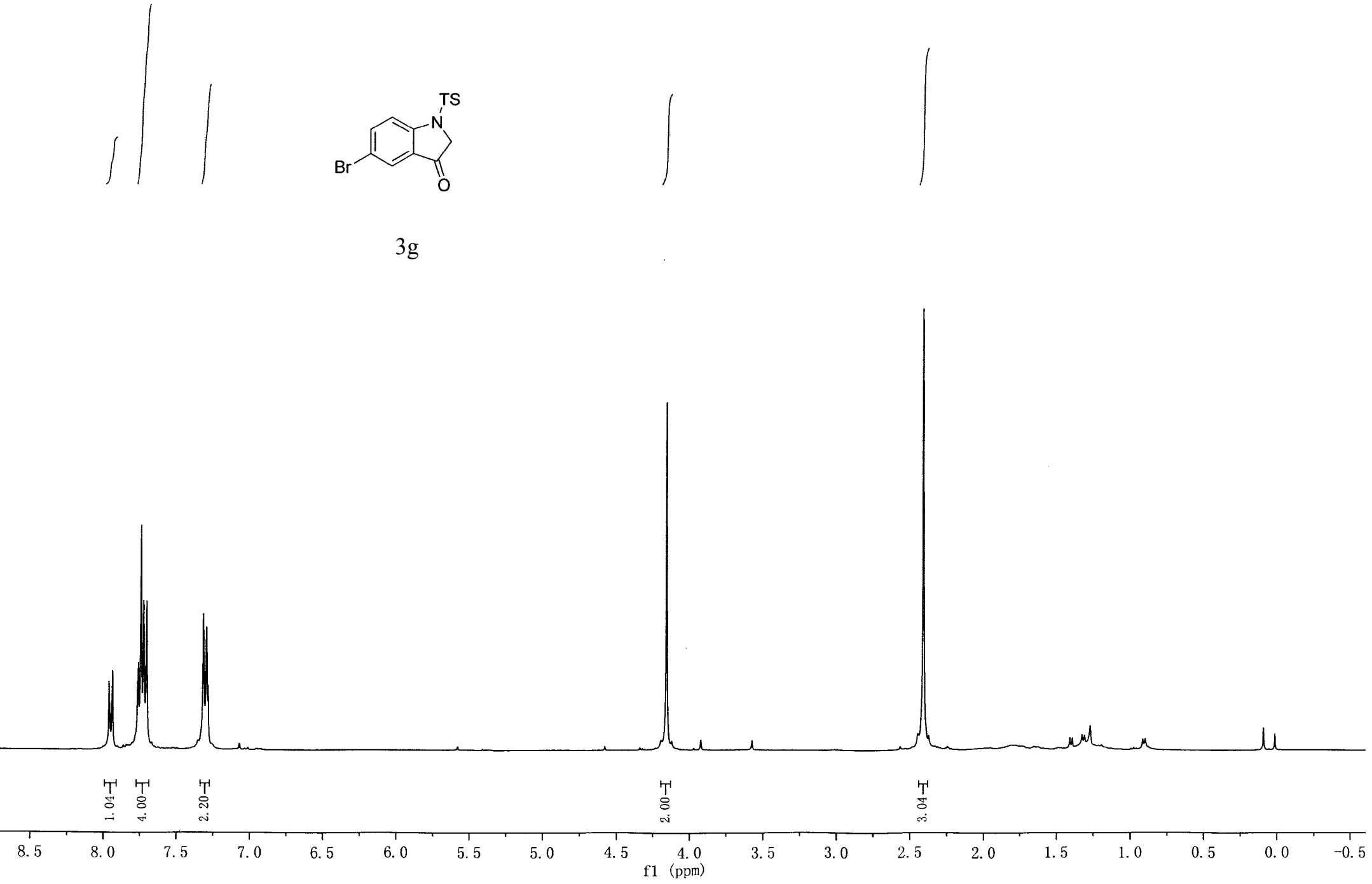
3f


1.05
2.24
2.10
2.21
2.00
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
-0.5
f1 (ppm)

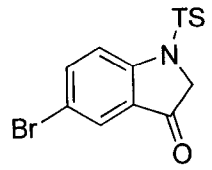

TS
N
Cl
O

3f


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
Br
O
1.04
4.00
2.20
2.00
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)

3g

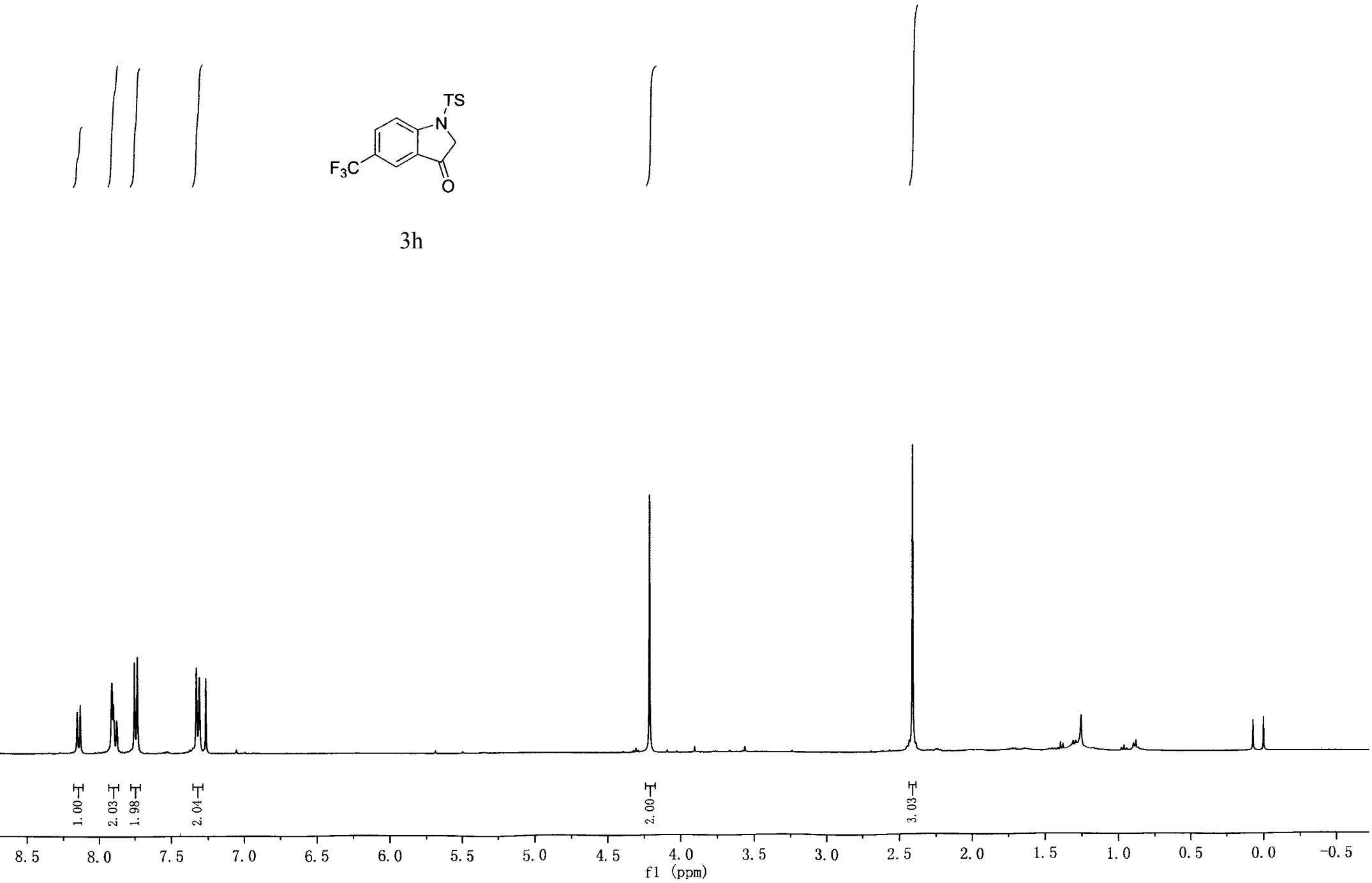

TS
N
Br
O

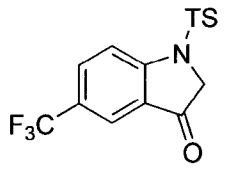
3g

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)

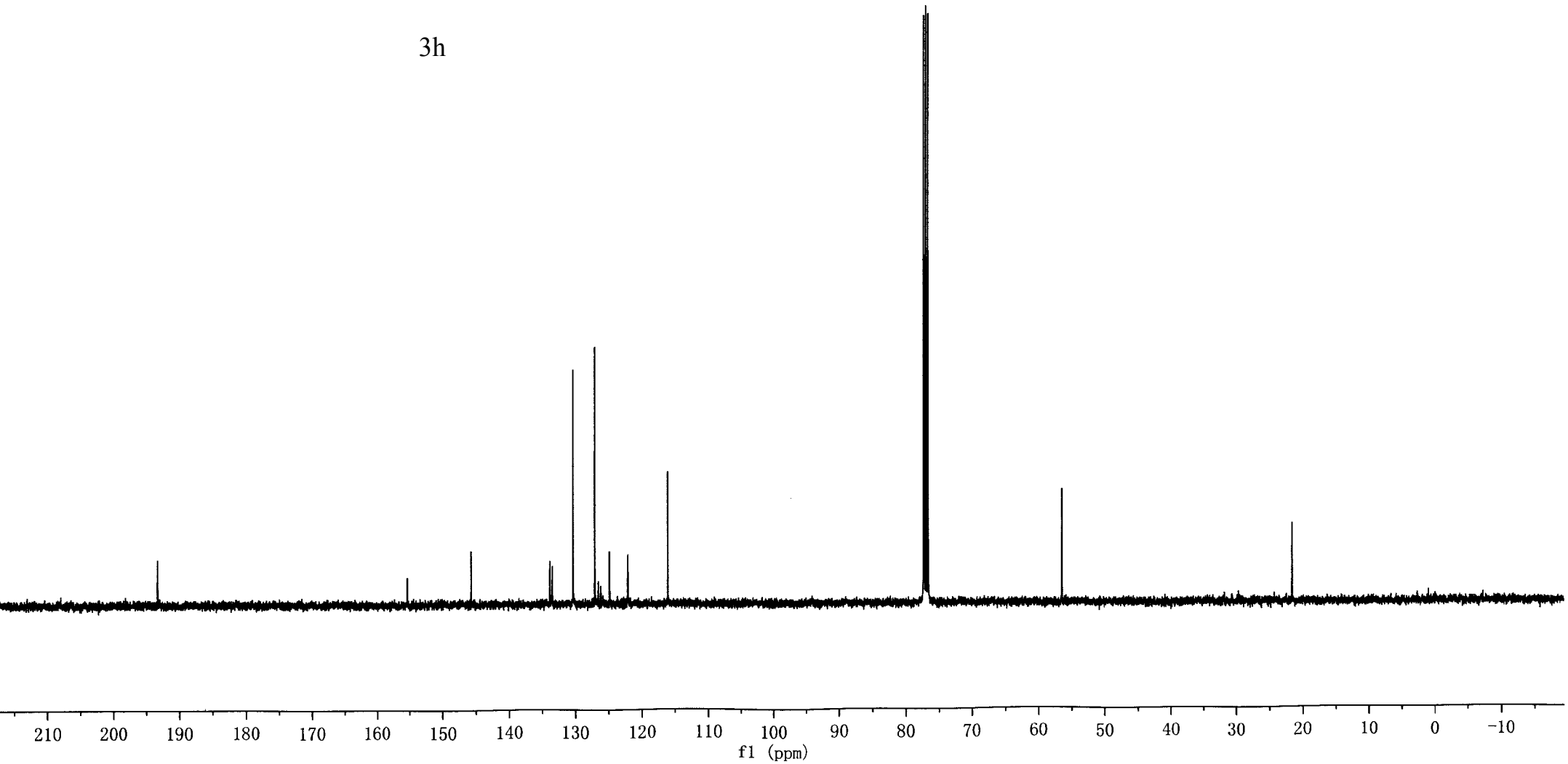
TS
N
F3C
O

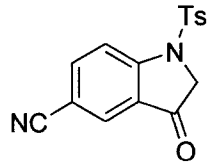
3h


1.00
2.03
1.98
2.04
2.00
3.03
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)

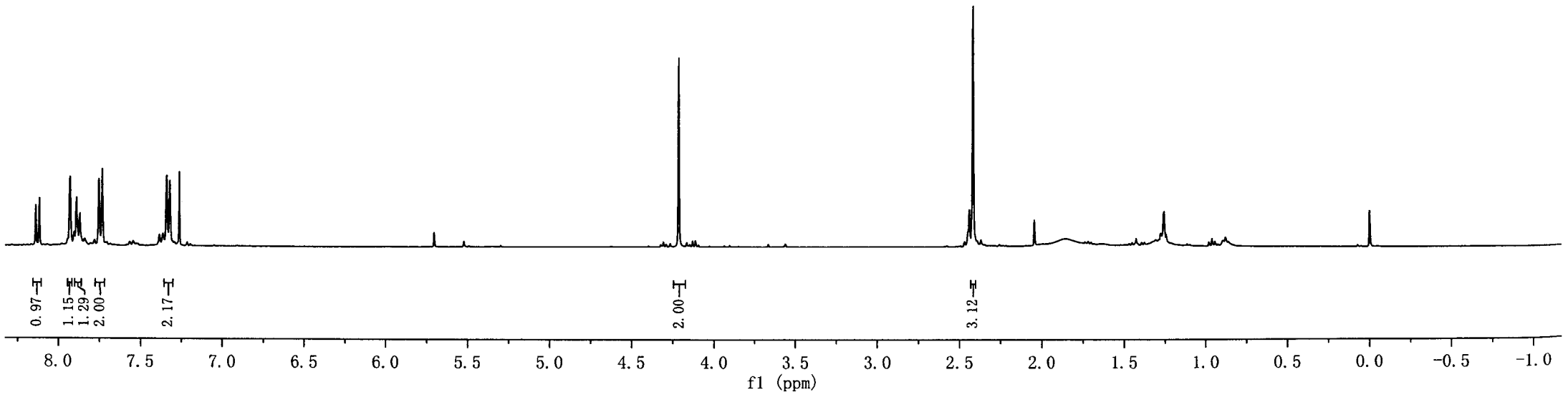

TS
N
F3C
O

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)

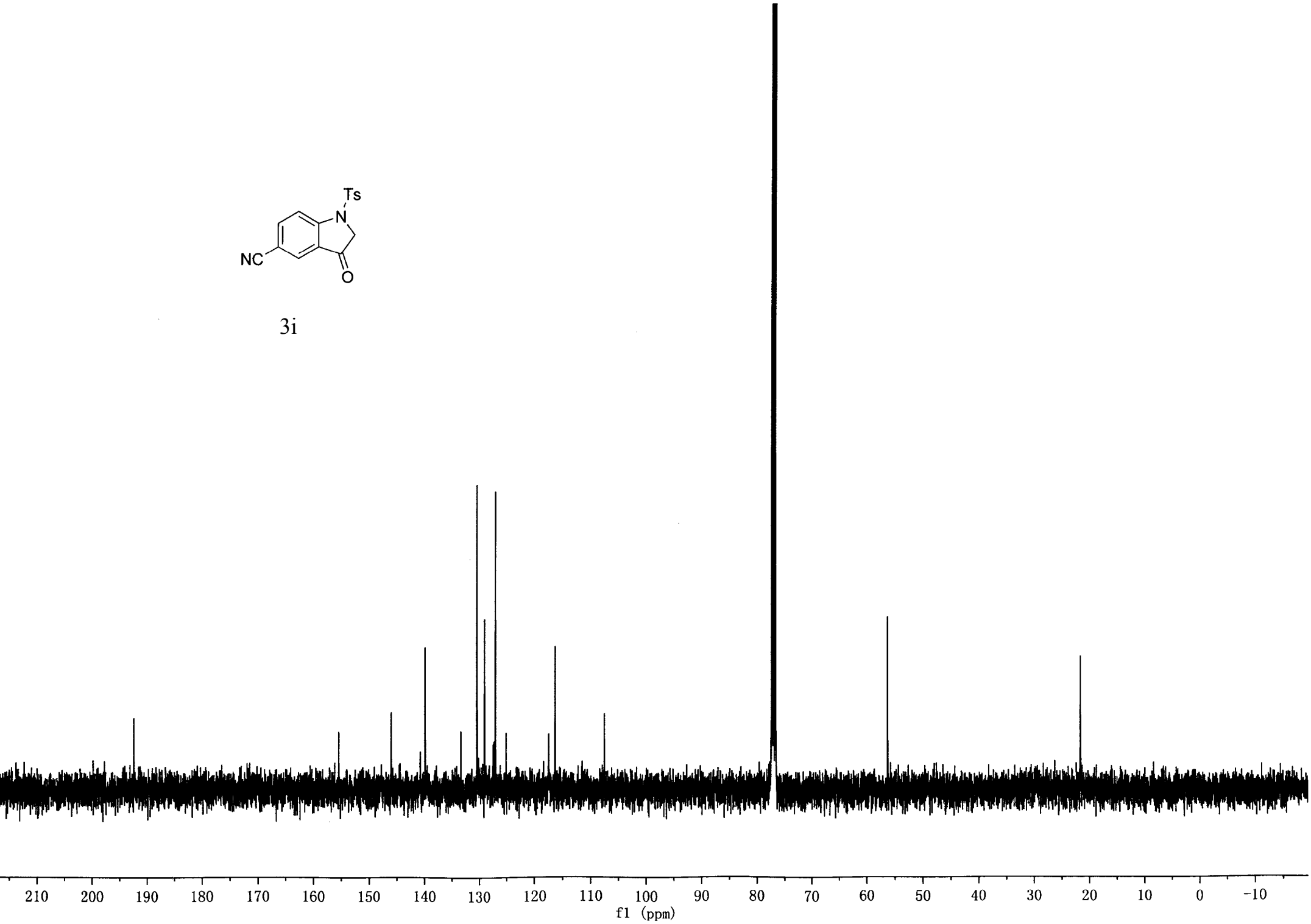

Ts
N
NC
O

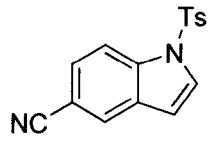
3i


0.97
1.15
1.29
2.00
2.17
2.00
3.12
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
f1 (ppm)

Ts
N
NC
O

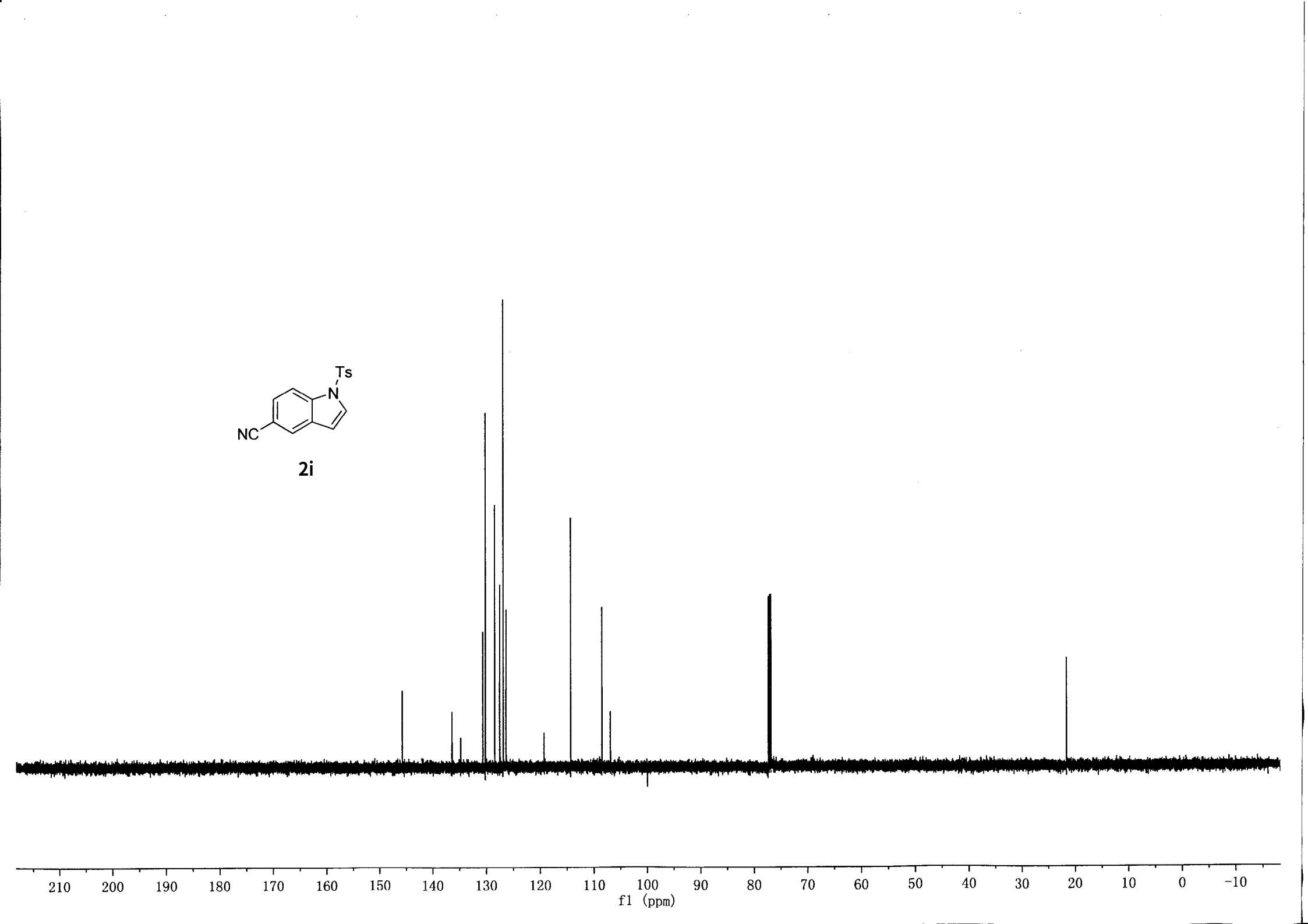
3i

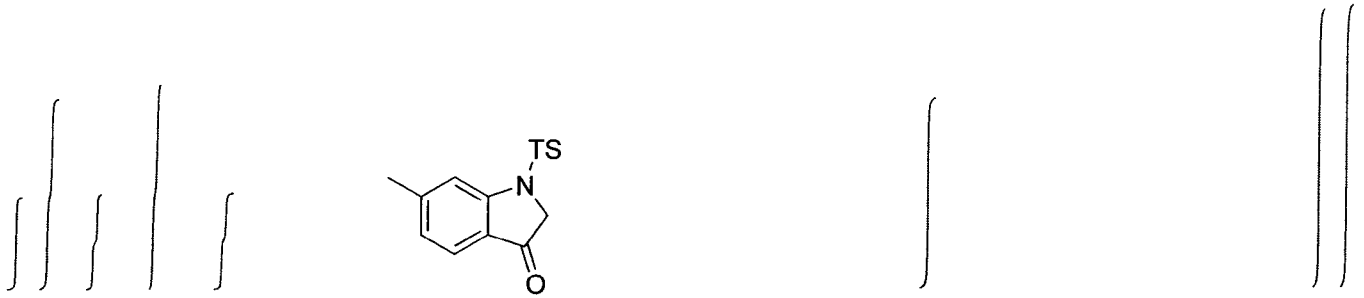

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


Ts
N
NC

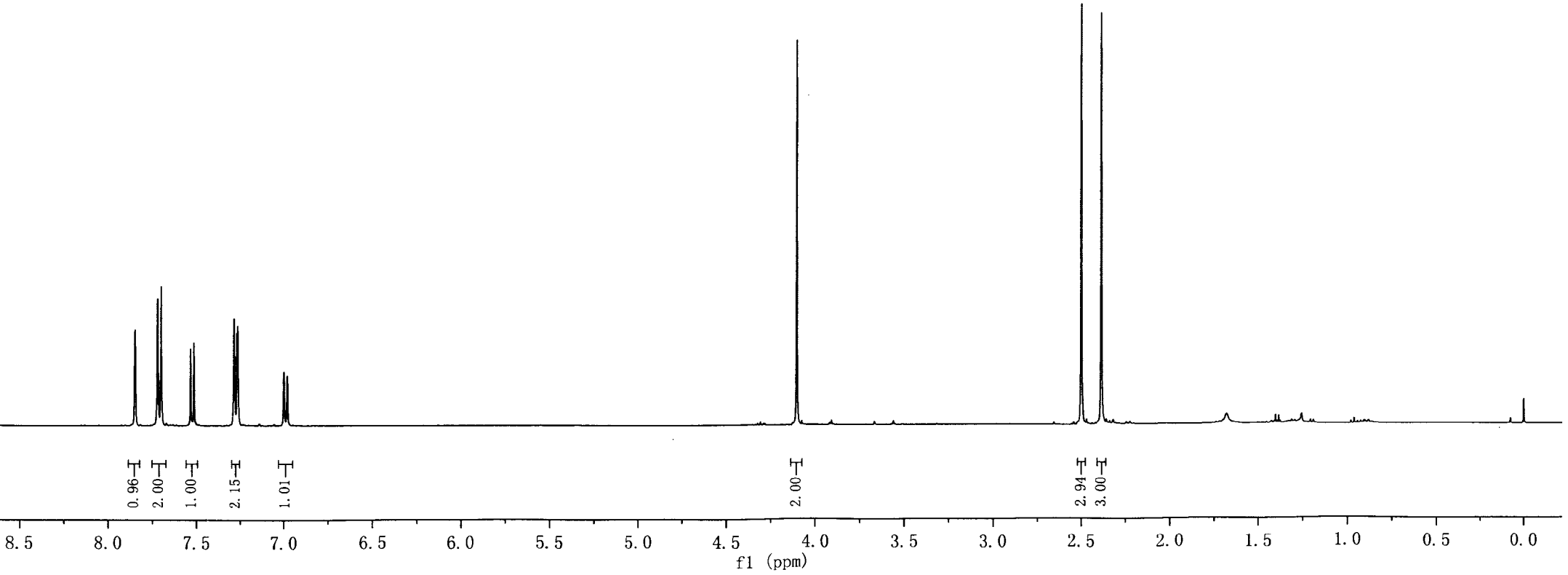
2i

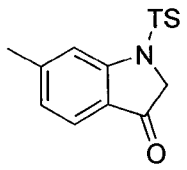
1.05
1.03
2.09
1.04
1.02
2.17
1.00
3.19
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.
f1 (ppm)


Ts
N
NC
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)

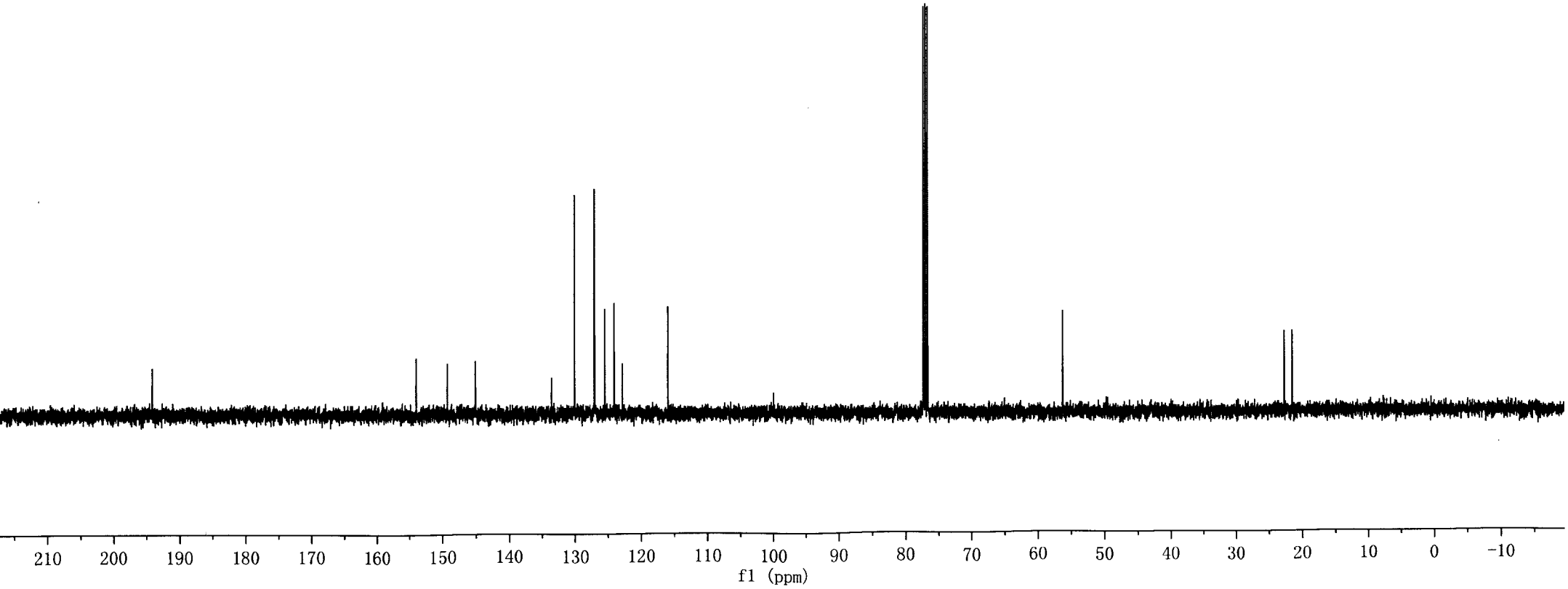

TS
N
O

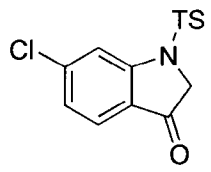
3j


0.96
2.00
1.00
2.15
1.01
2.00
2.94
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)

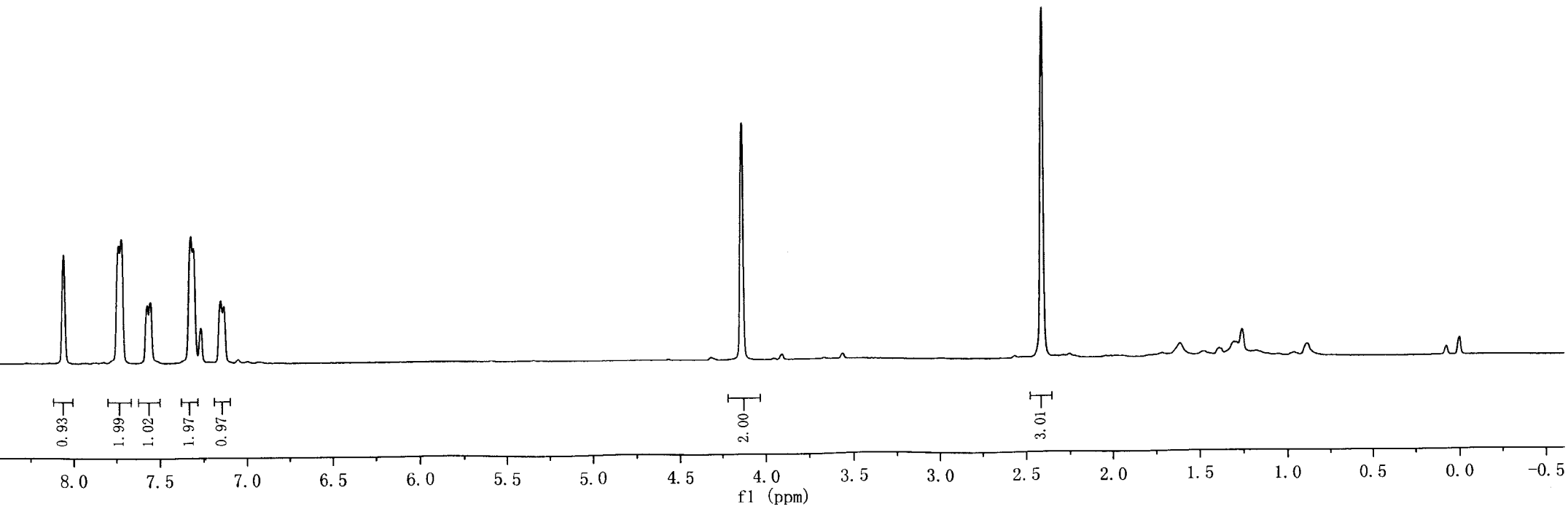

TS
N
O

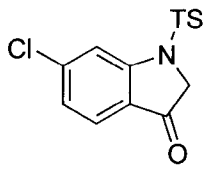
3j


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)

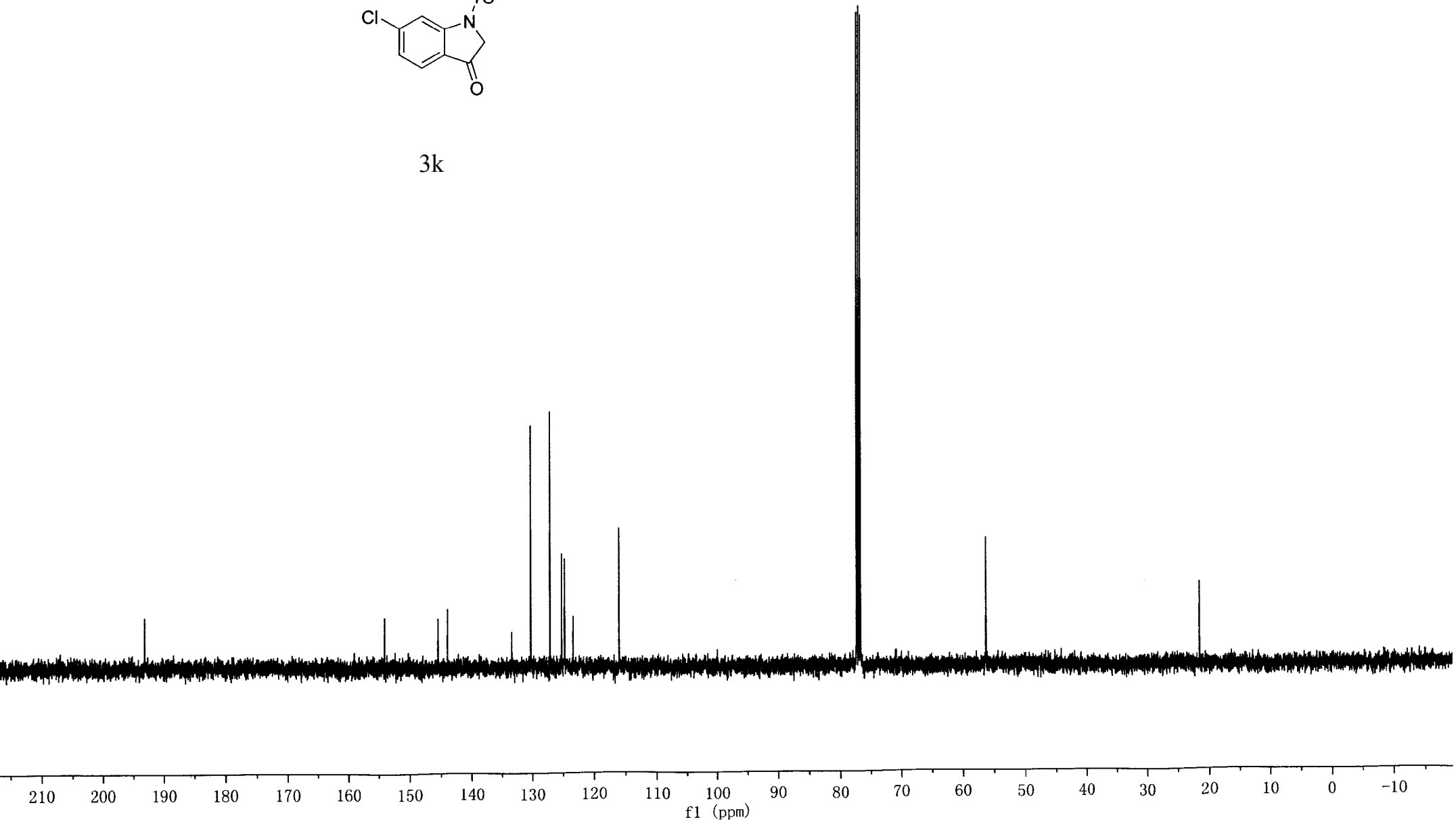

TS
Cl
N
O

3k


0.93
1.99
1.02
1.97
0.97
2.00
3.01
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)

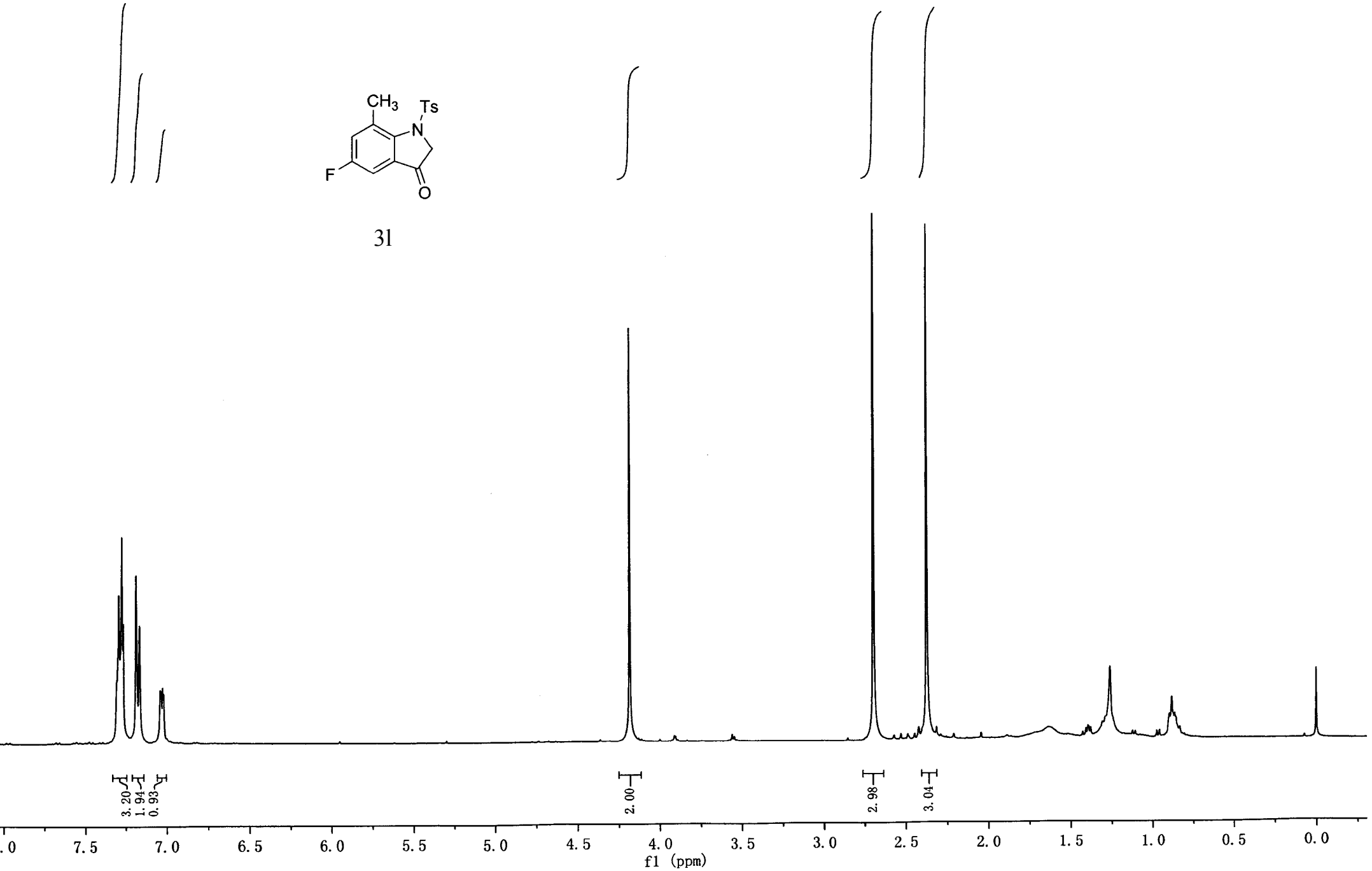

TS
Cl
N
O

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)

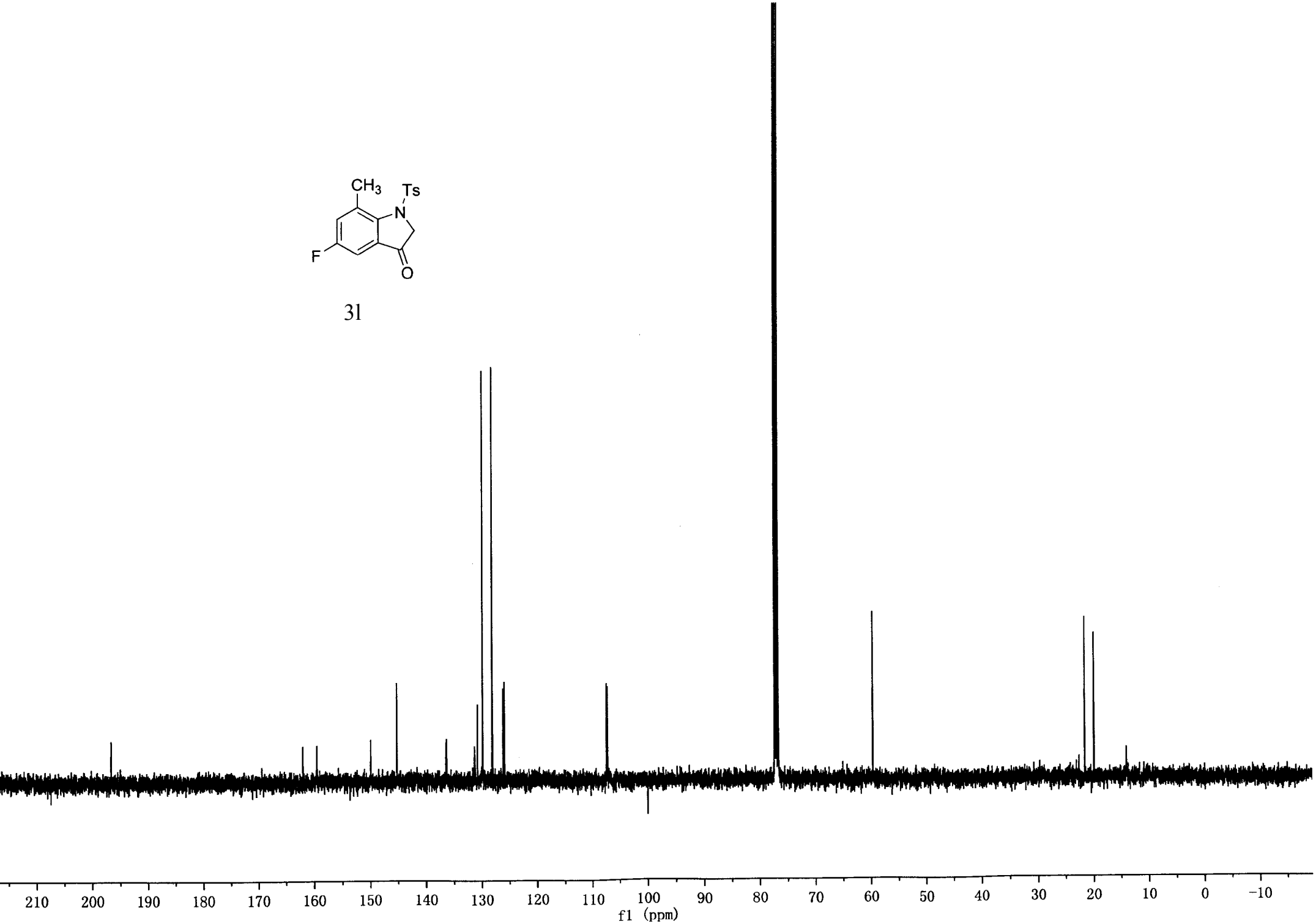
CH3
Ts
N
F
O

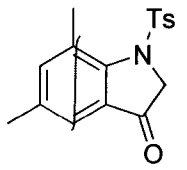
31


3.20
1.94
0.93
2.00
2.98
3.04
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)

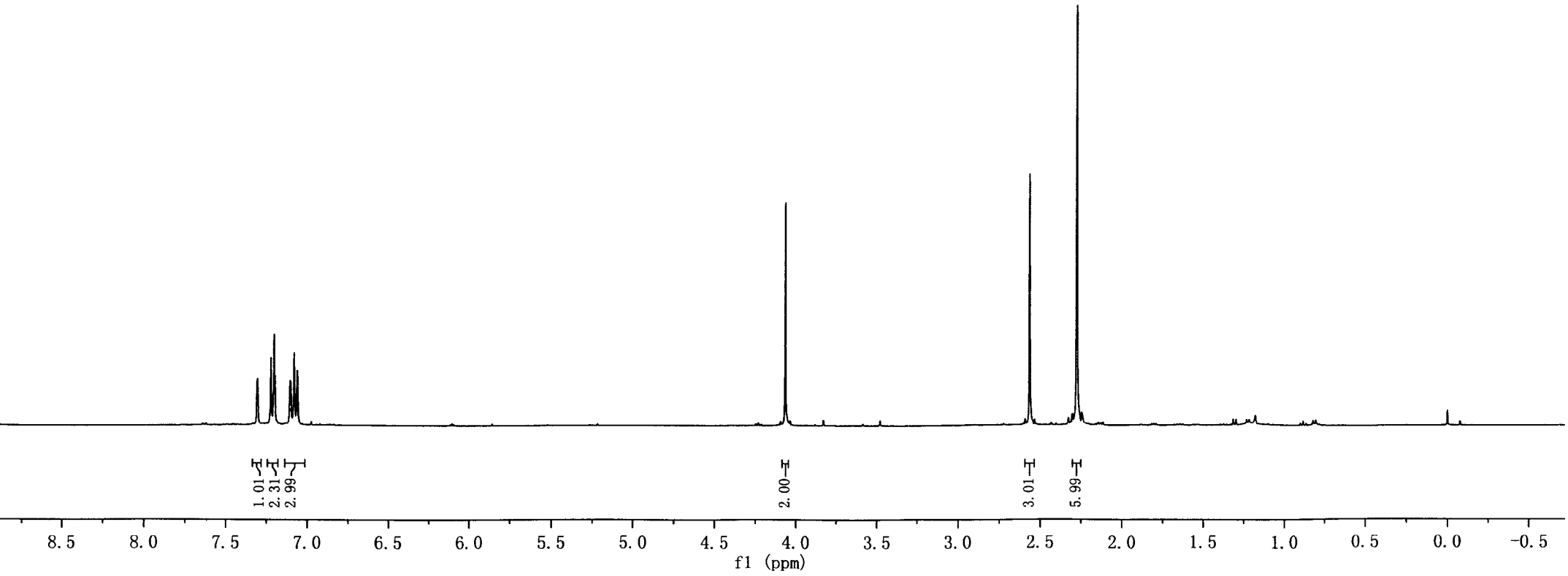
CH3
Ts
N
F
O

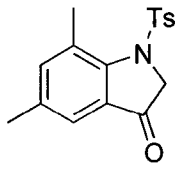
31


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)

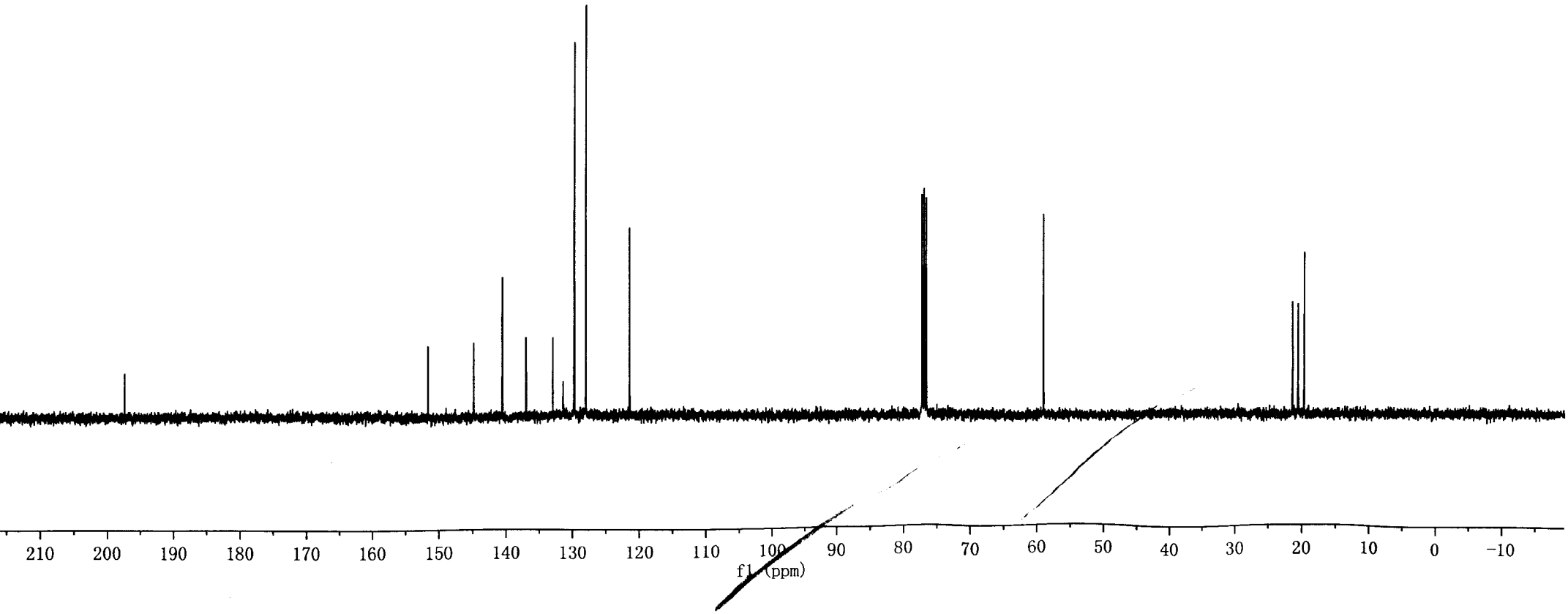

Ts
N
O

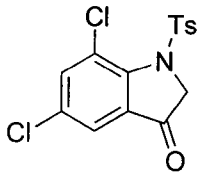
3m


1.01
2.31
2.99
2.00
3.01
5.99
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
-0.5
f1 (ppm)

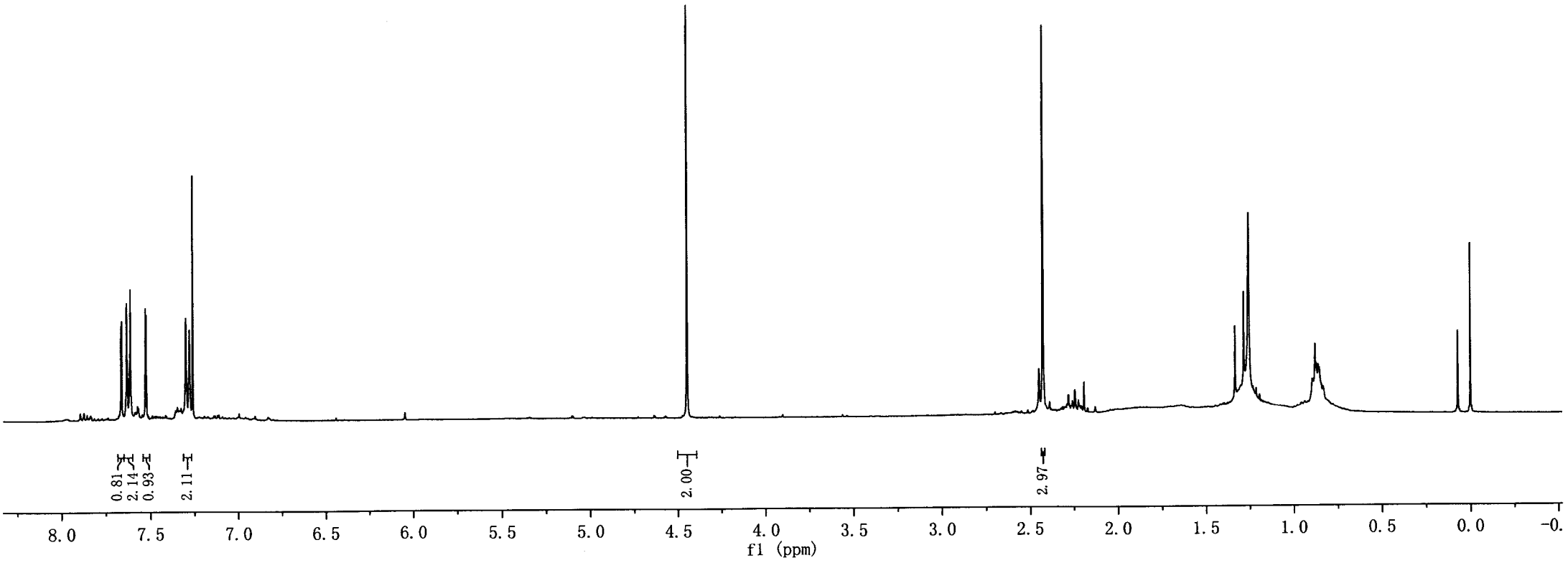

Ts
N
O

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)

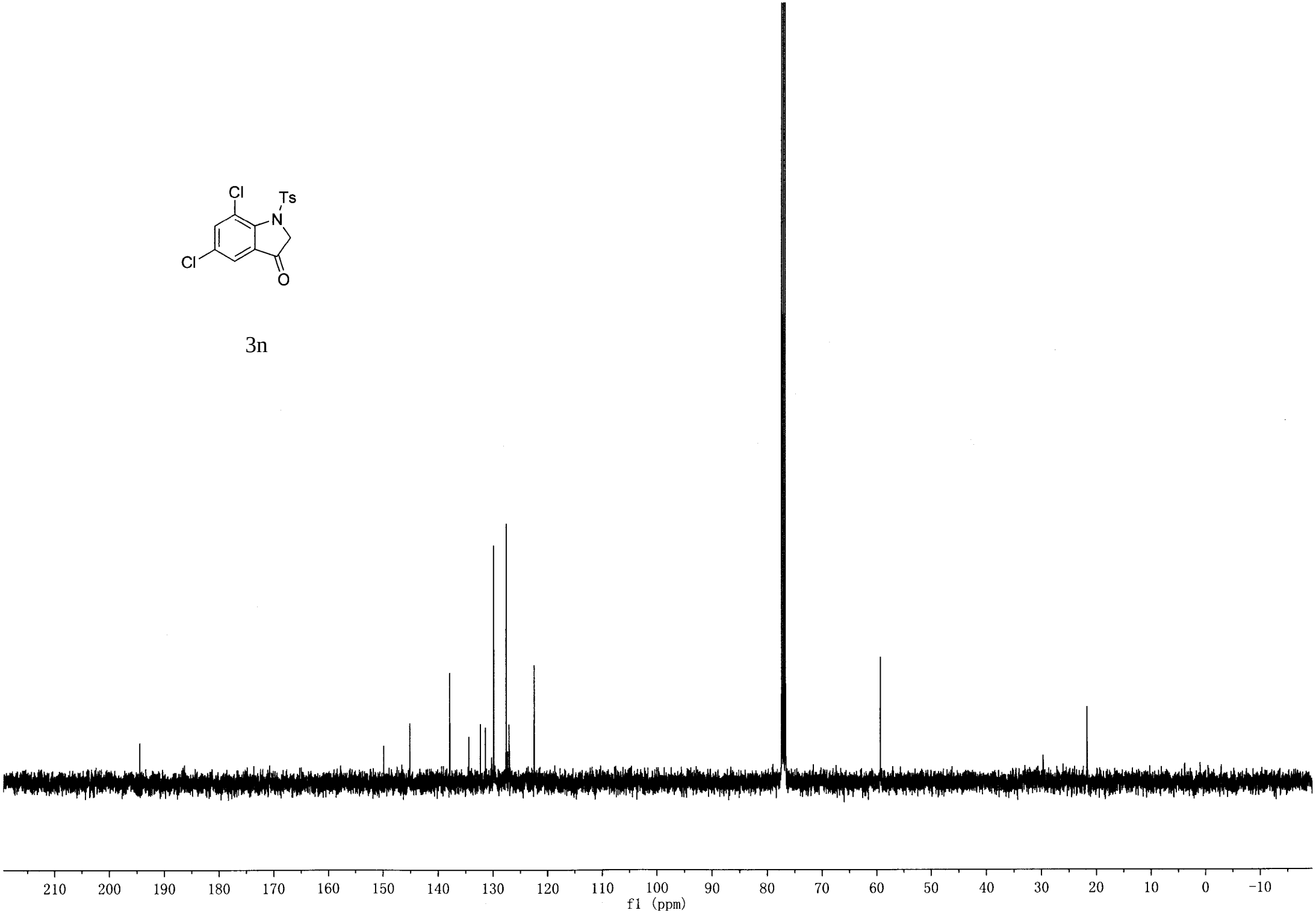

Cl
Ts
N
Cl
O

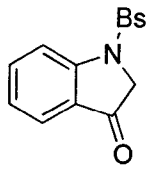
3n


0.81
2.14
0.93
2.11
2.00
2.97
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)

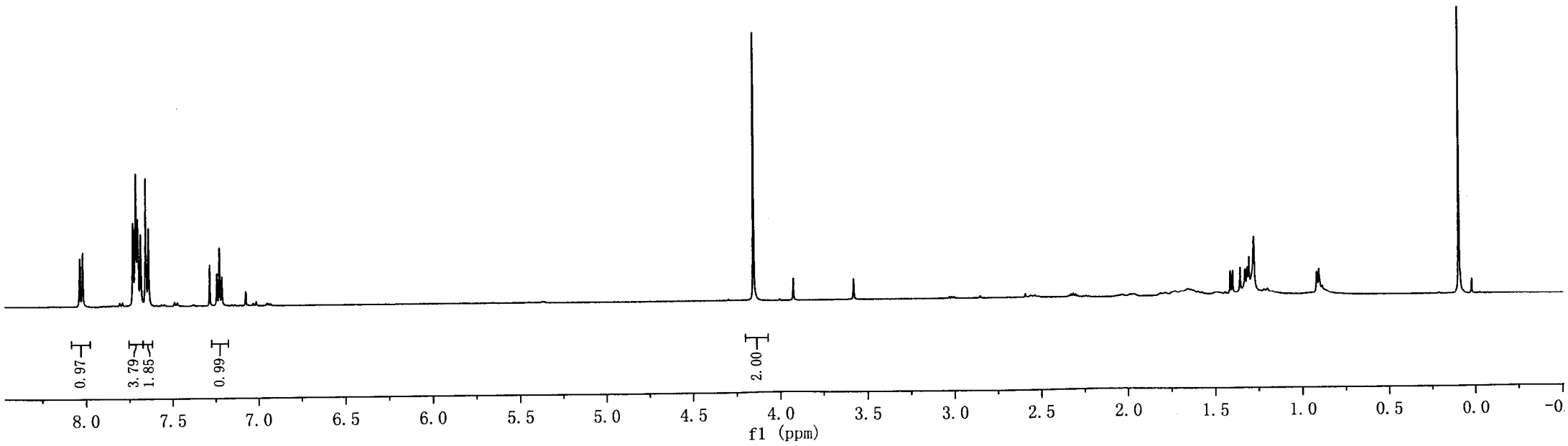
Cl
Ts
N
Cl
O

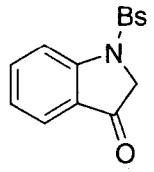
3n


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)

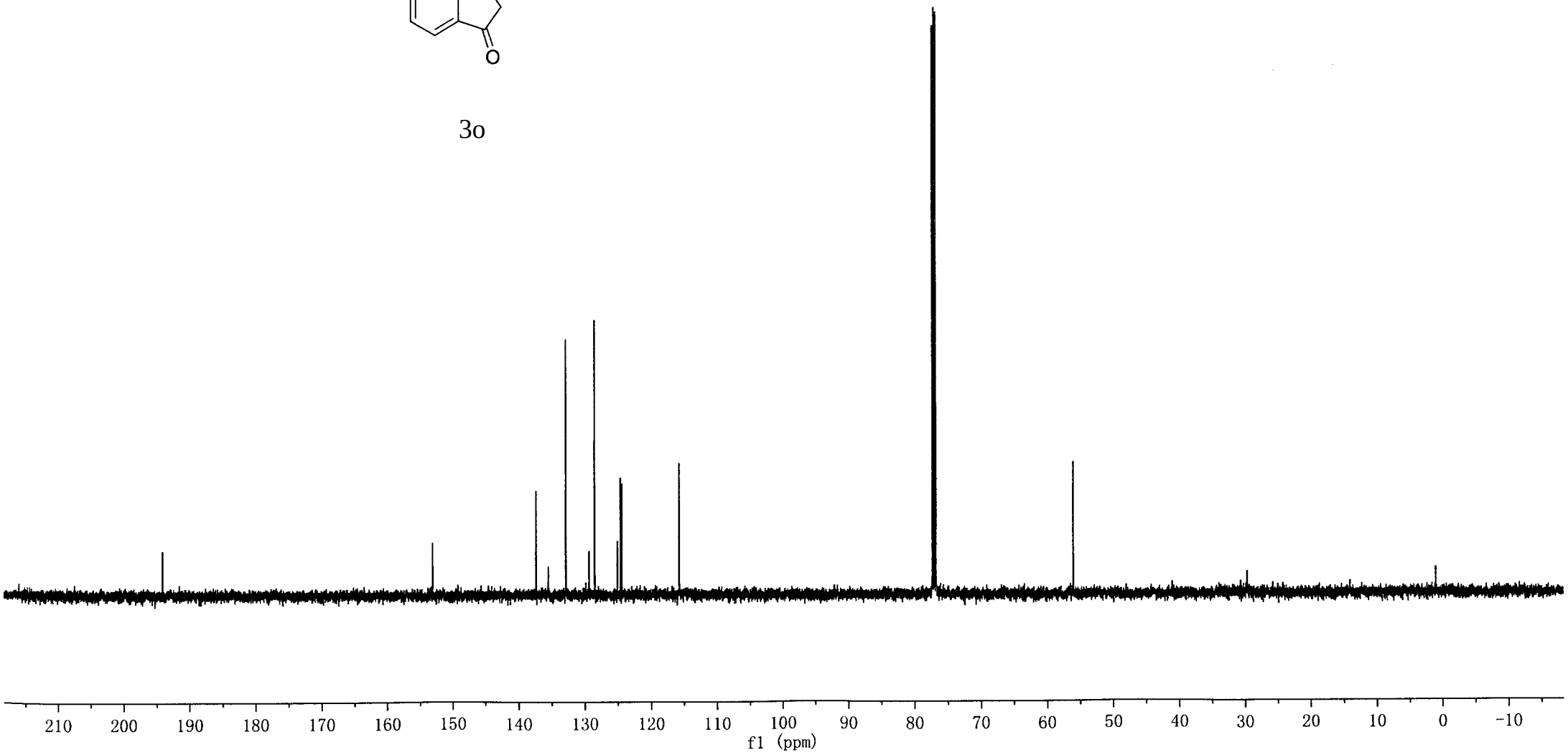

Bs
N
O

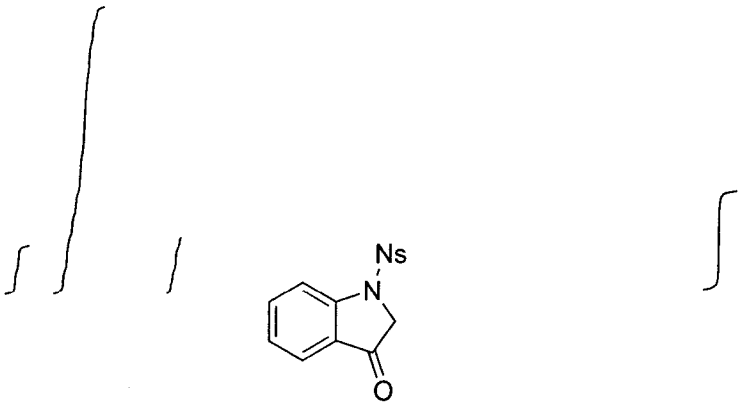
3o


0.97
3.79
1.85
0.99
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)

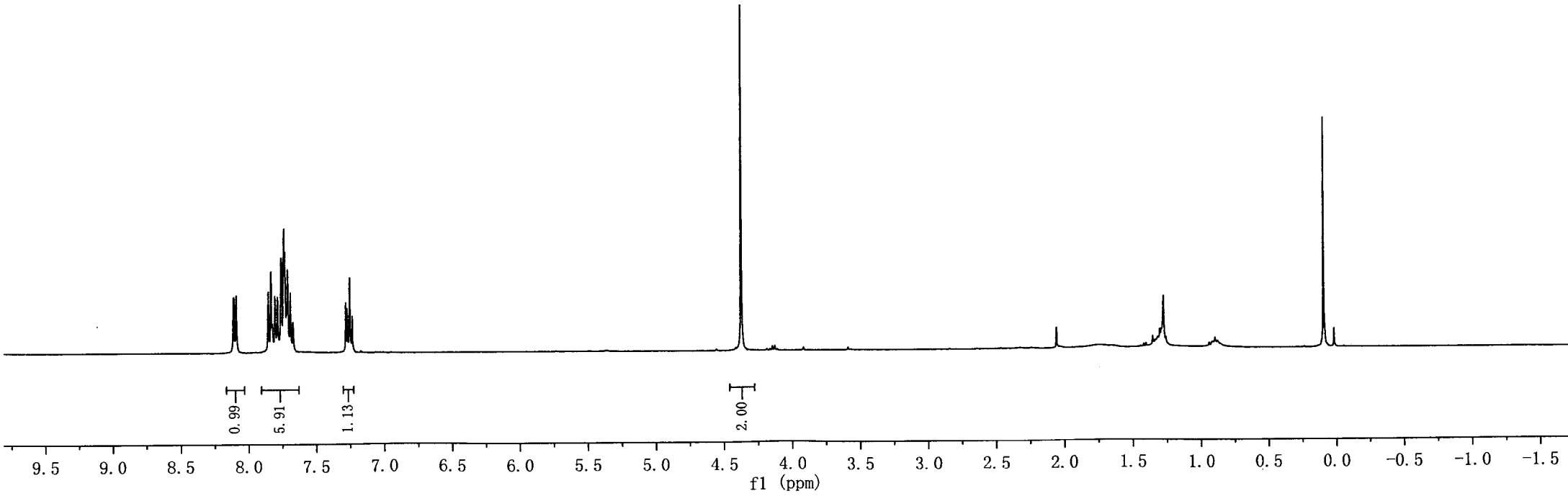

Bs
N
O

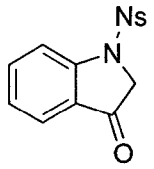
3o


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)

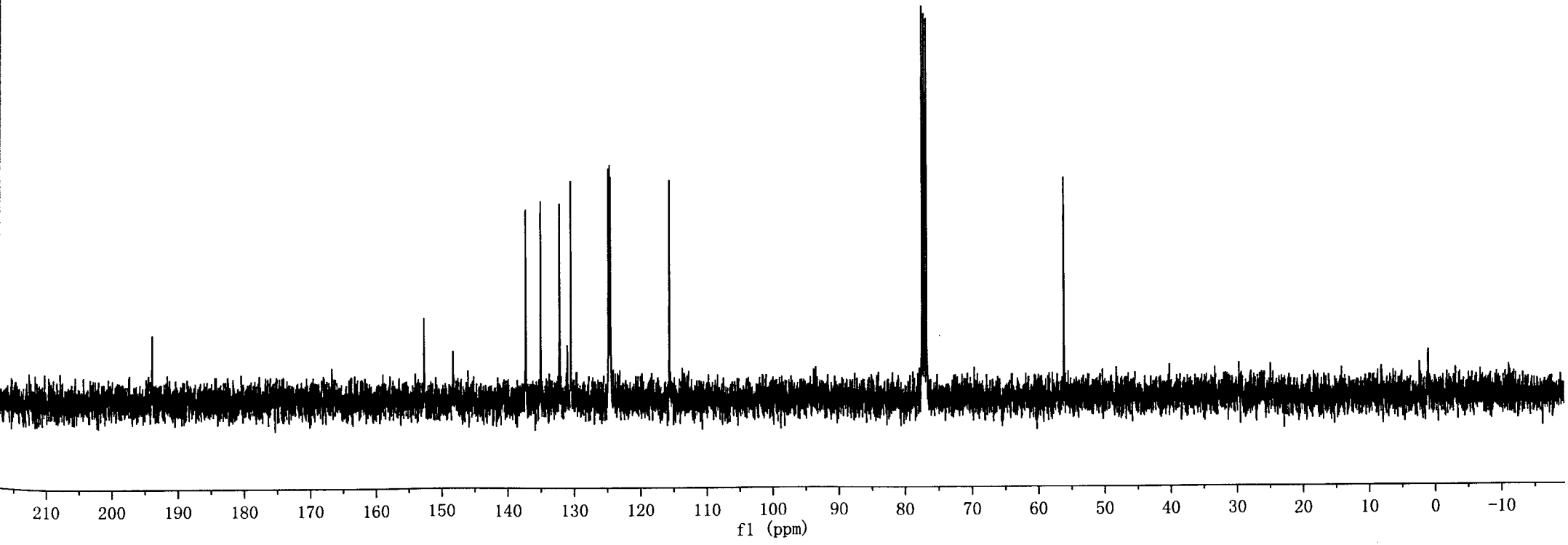

Ns
N
O

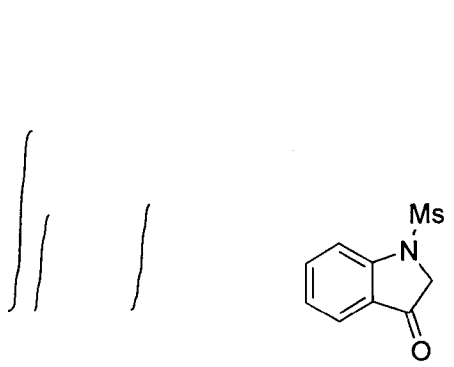
3p


0.99
5.91
1.13
2.00
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
-0.5
-1.0
-1.5
f1 (ppm)

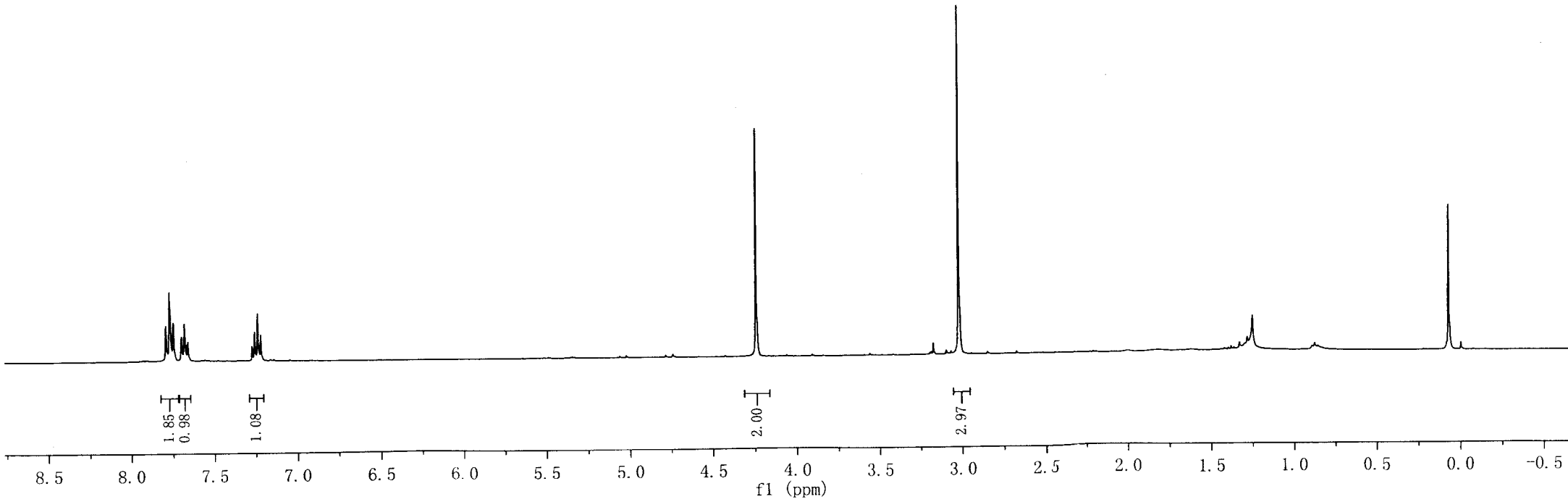

Ns
N
O

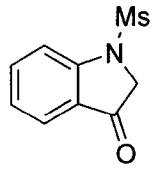
3p


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)

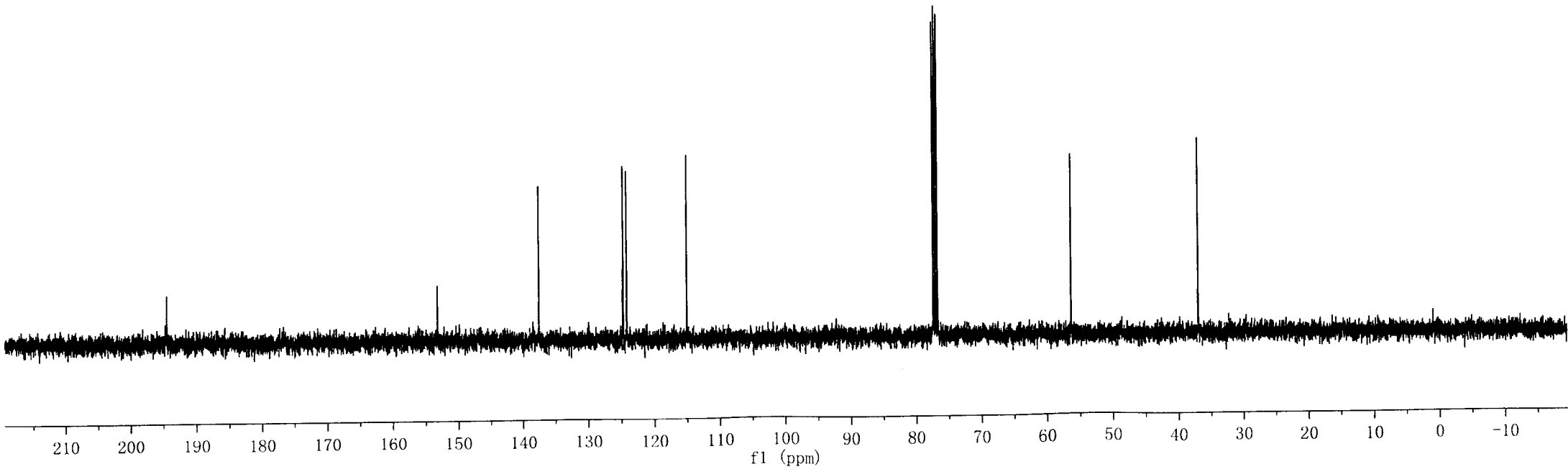

Ms
N
O

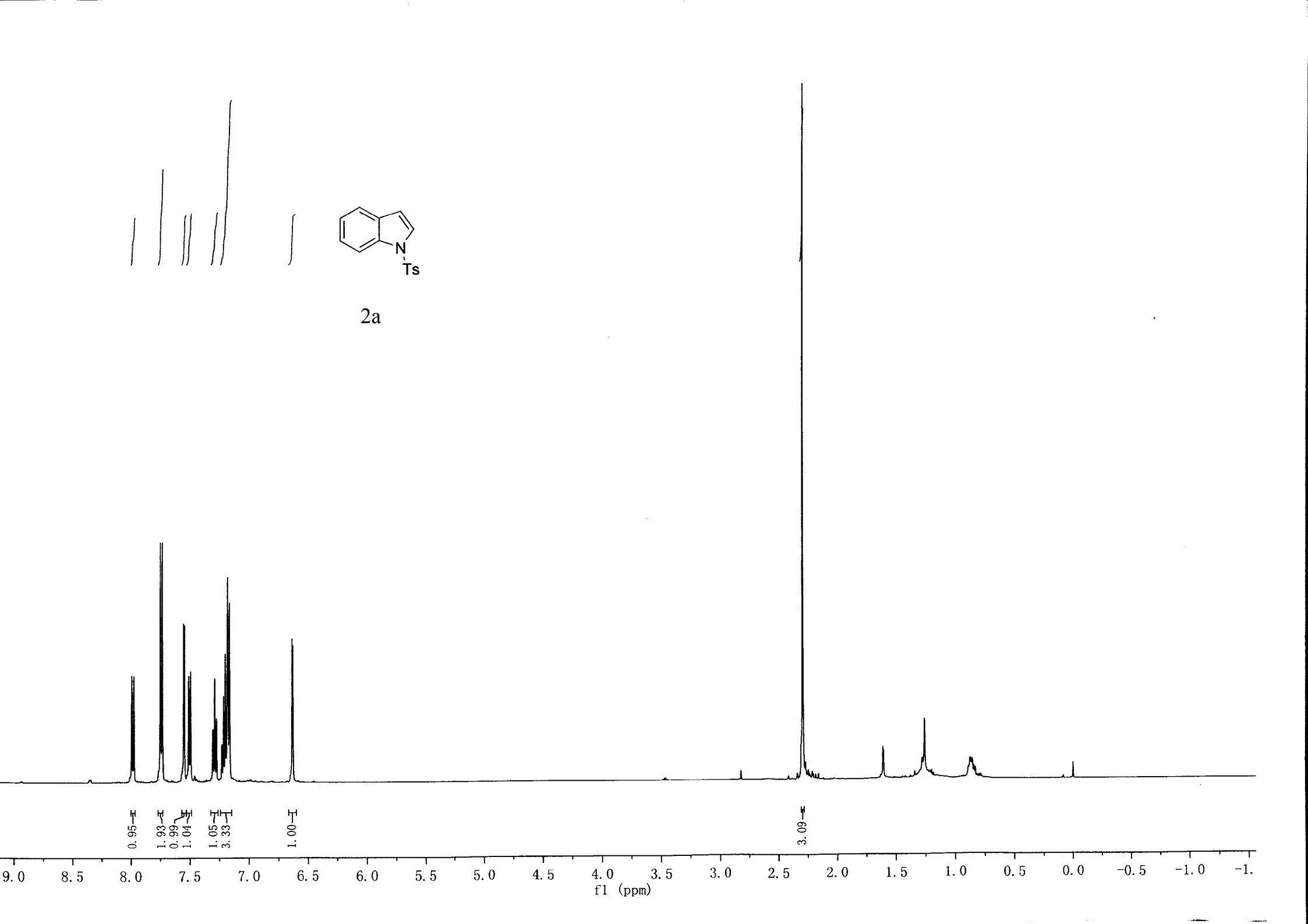
3q


1.85
0.98
1.08
2.00
2.97
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)

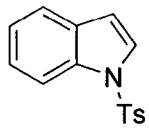

Ms
N
O

3q

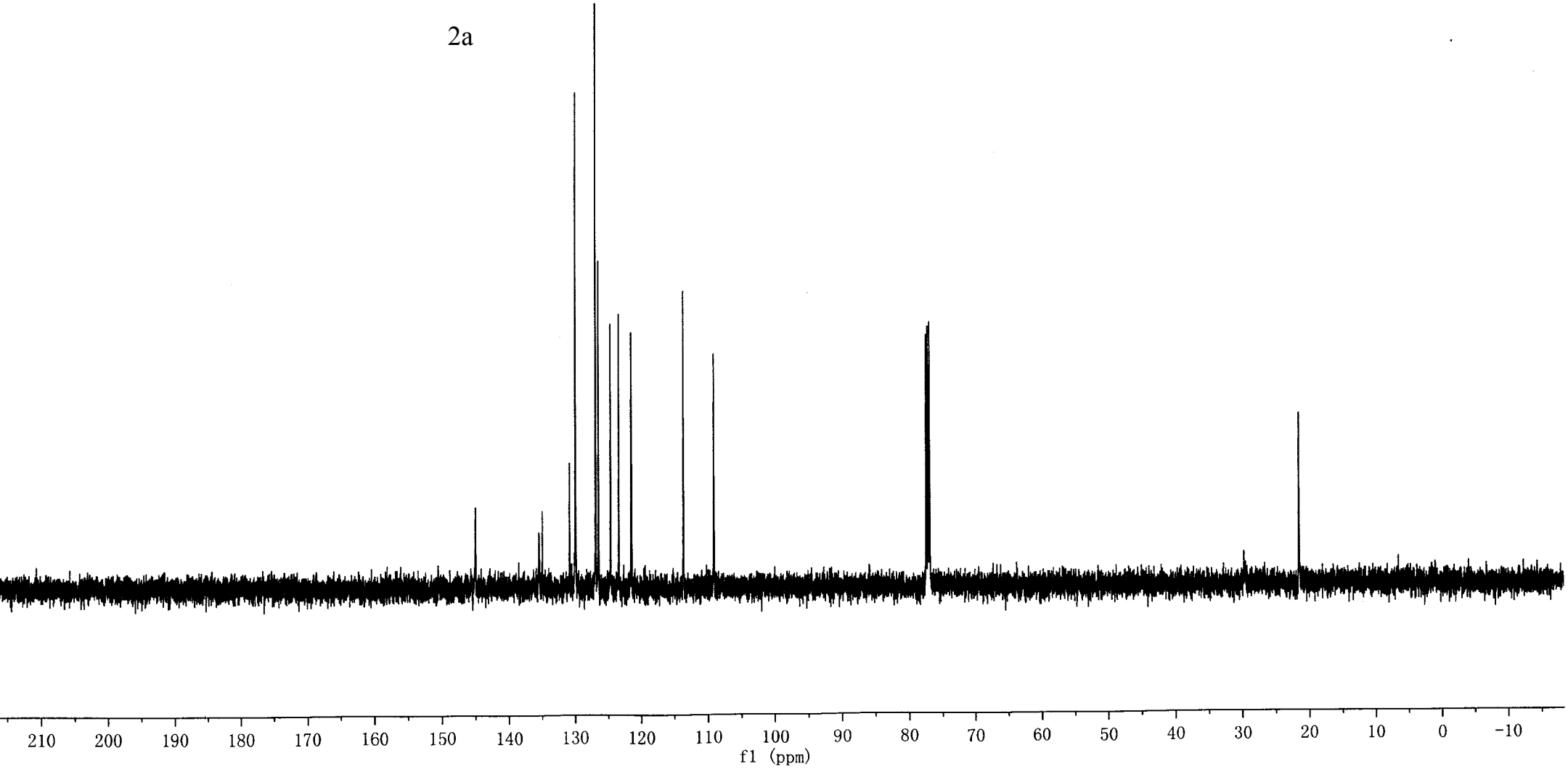

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

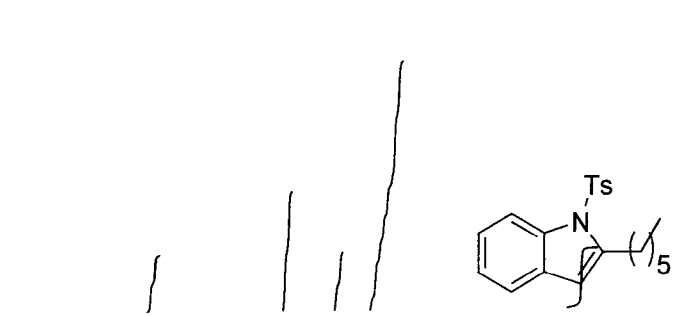

N
Ts
0.95
1.93
0.99
1.04
1.05
3.33
1.00
3.09
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
-1.0
-1.
f1 (ppm)

2a

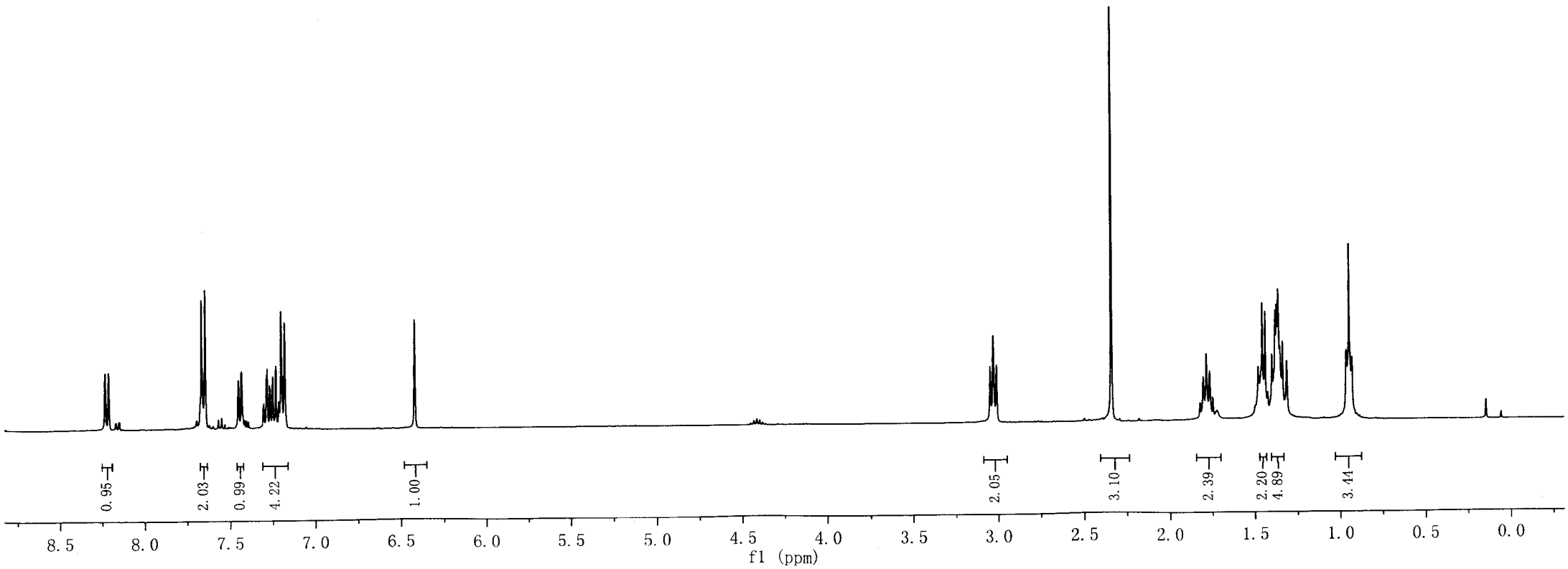

N
Ts

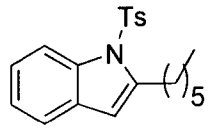
2a


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)

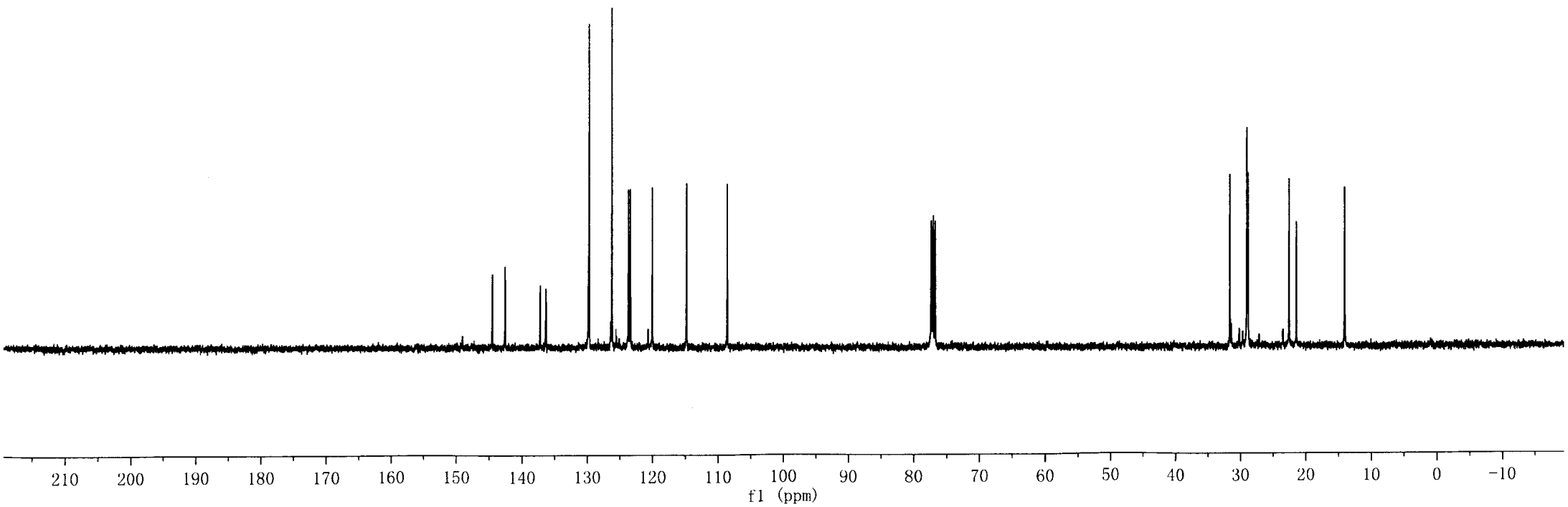

Ts
N
5

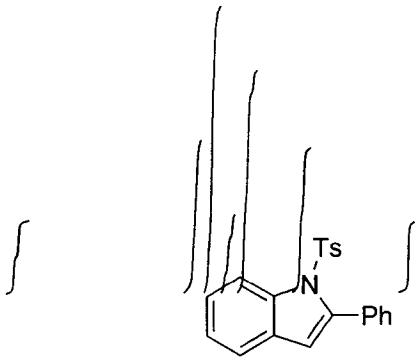
2r


0.95
2.03
0.99
4.22
1.00
2.05
3.10
2.39
2.20
4.89
3.44
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)

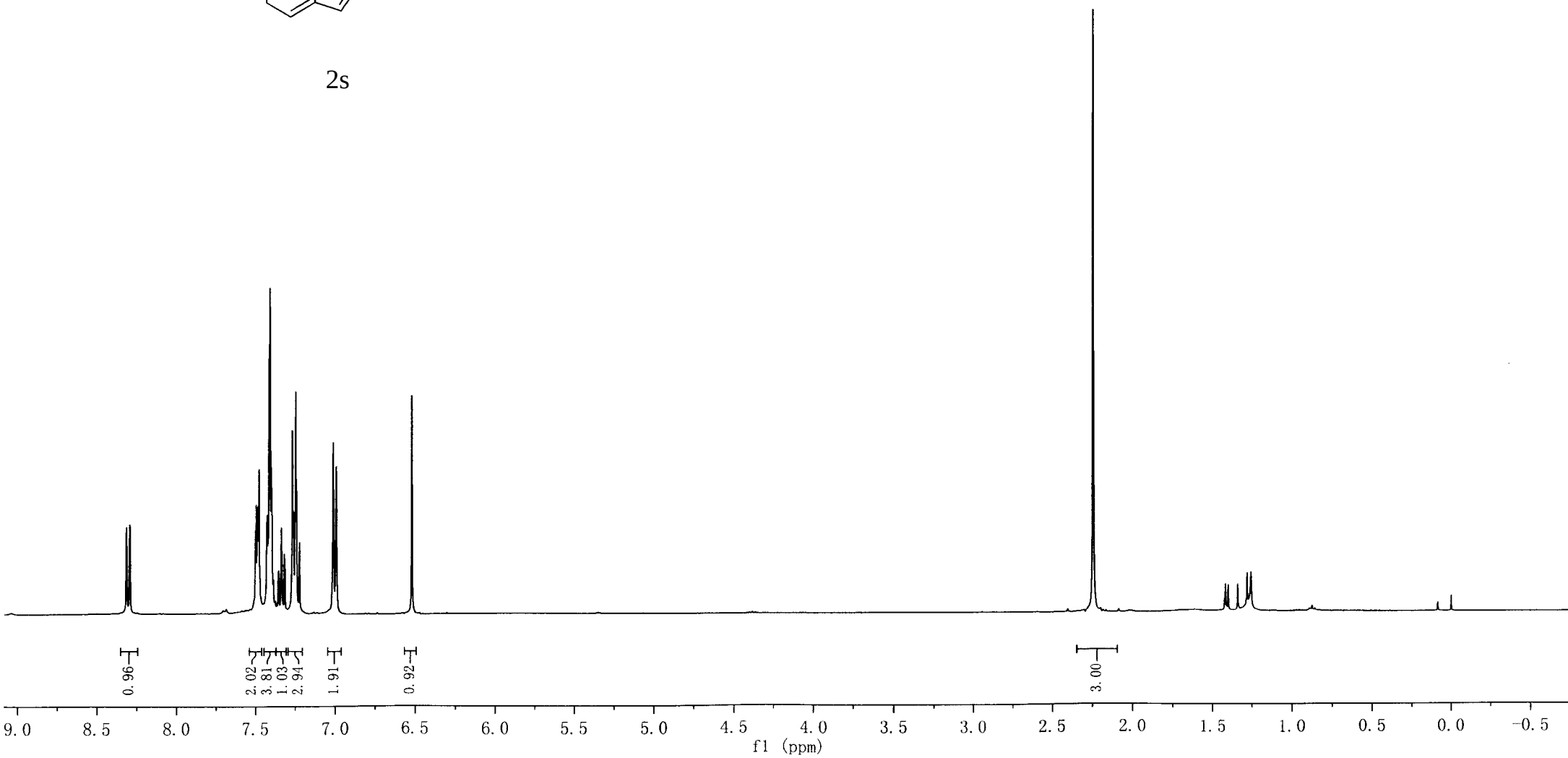

Ts
N
5

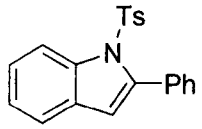
2r


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)

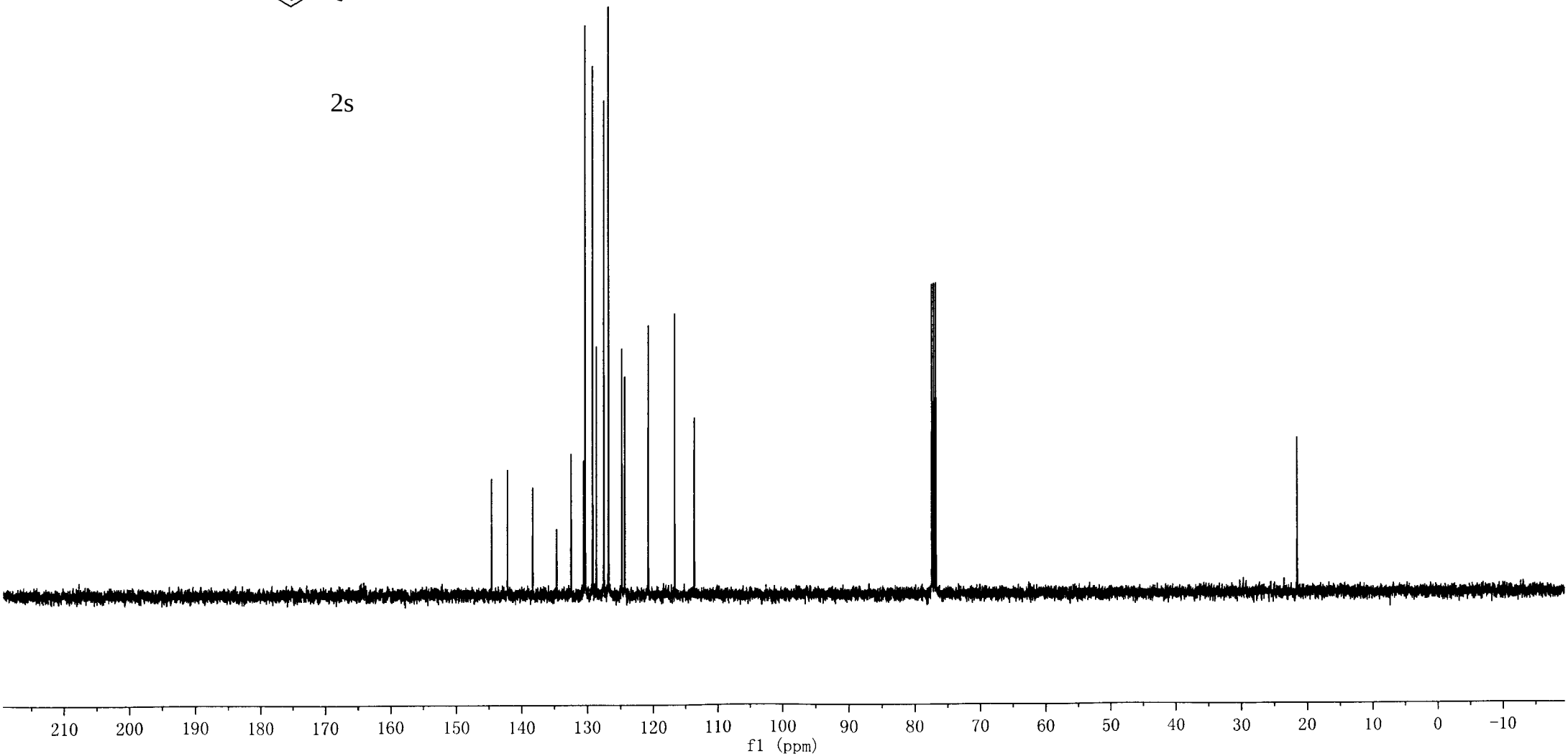

Ts
N
Ph

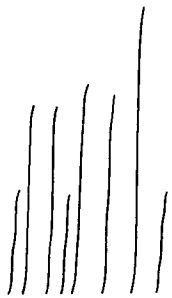
2s

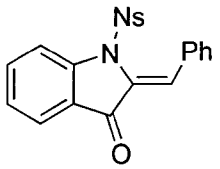

0.96
2.02
3.81
1.03
2.94
1.91
0.92
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

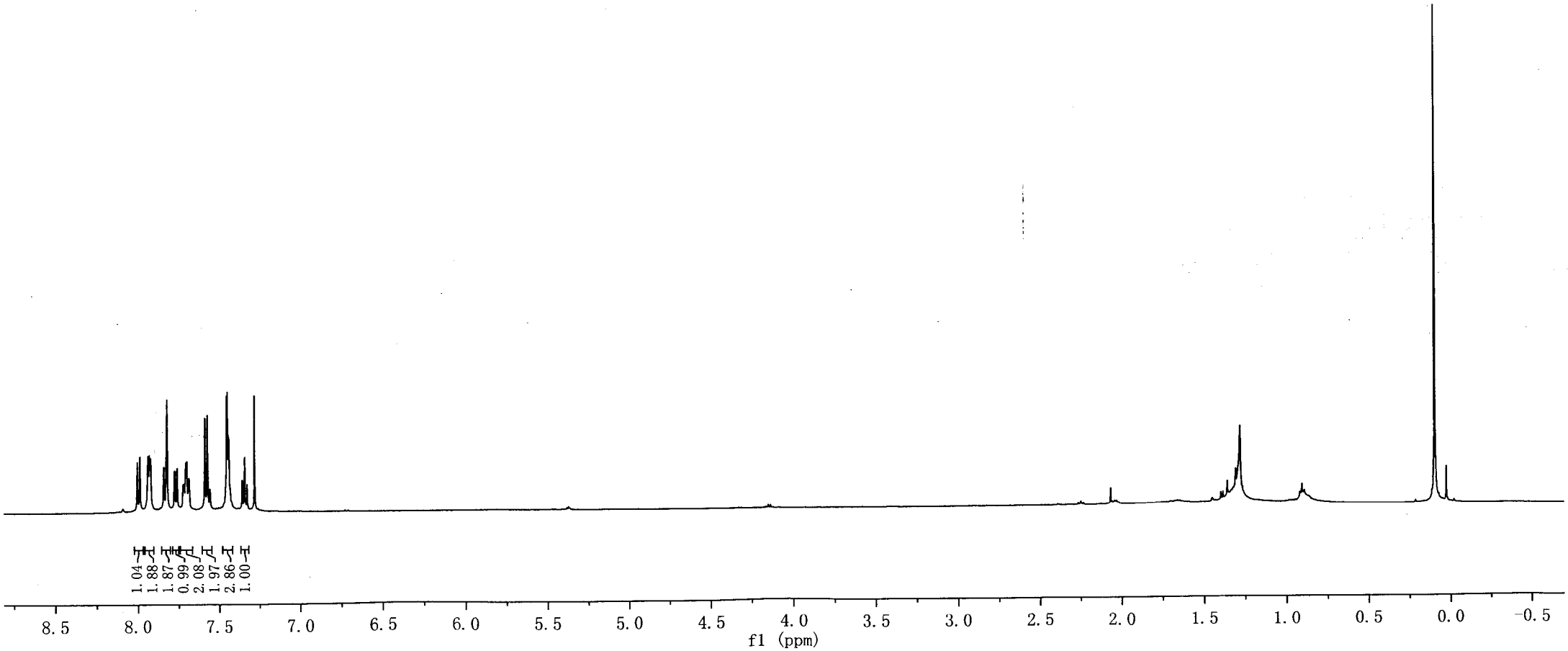

Ts
N
Ph

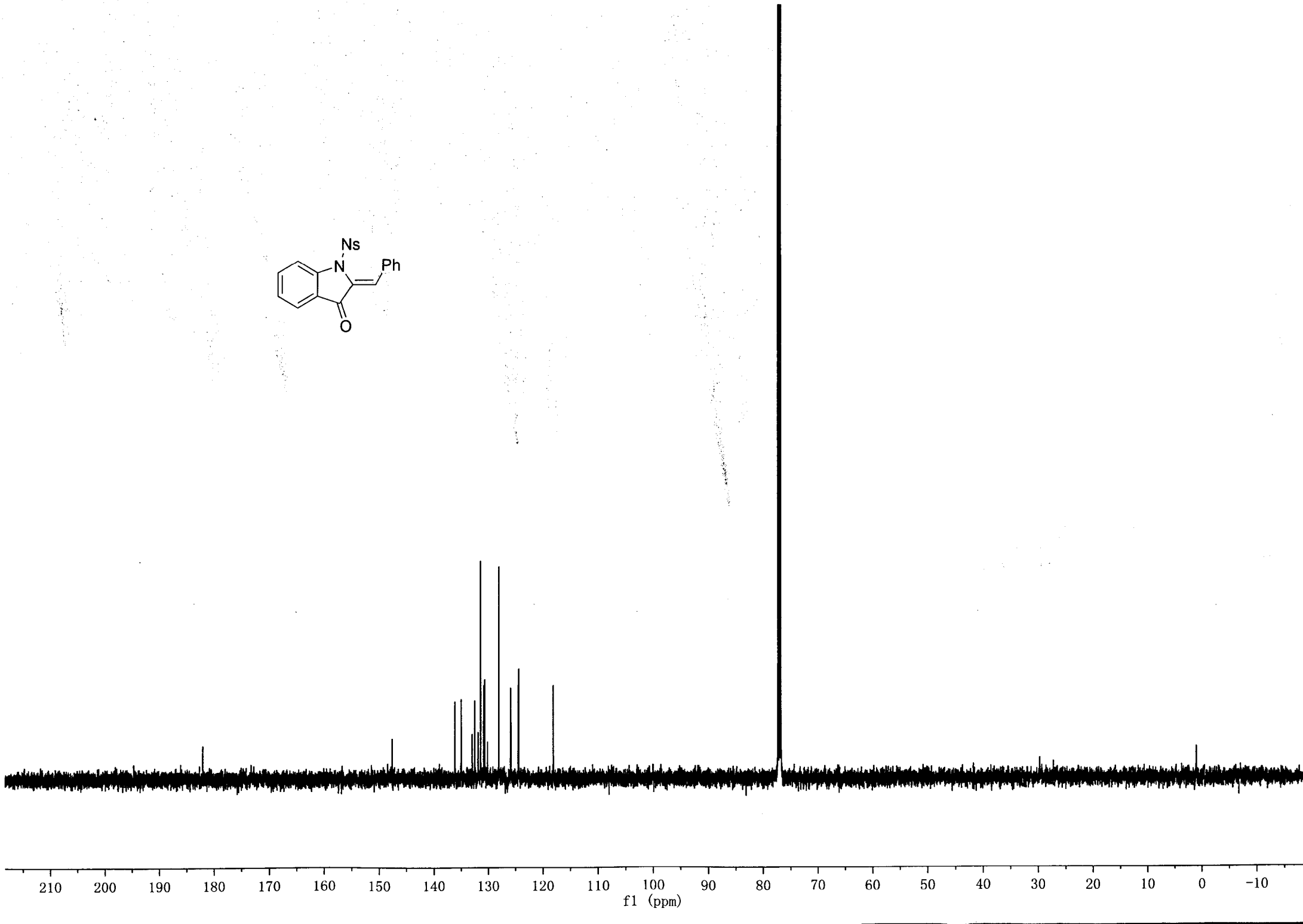
2s

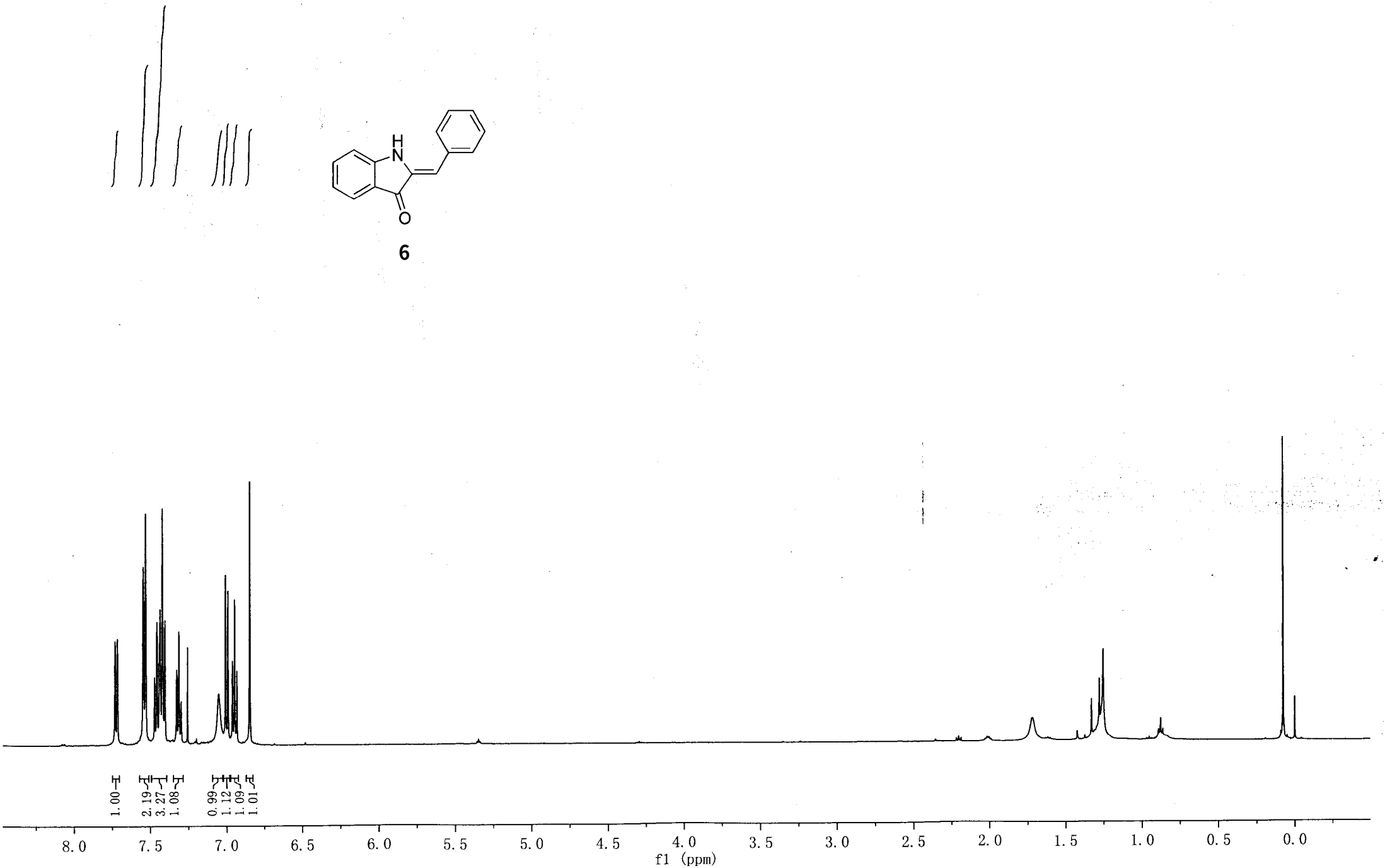

210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

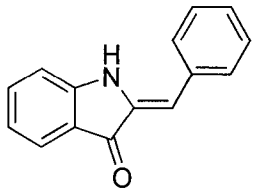



Ns
N
Ph
O

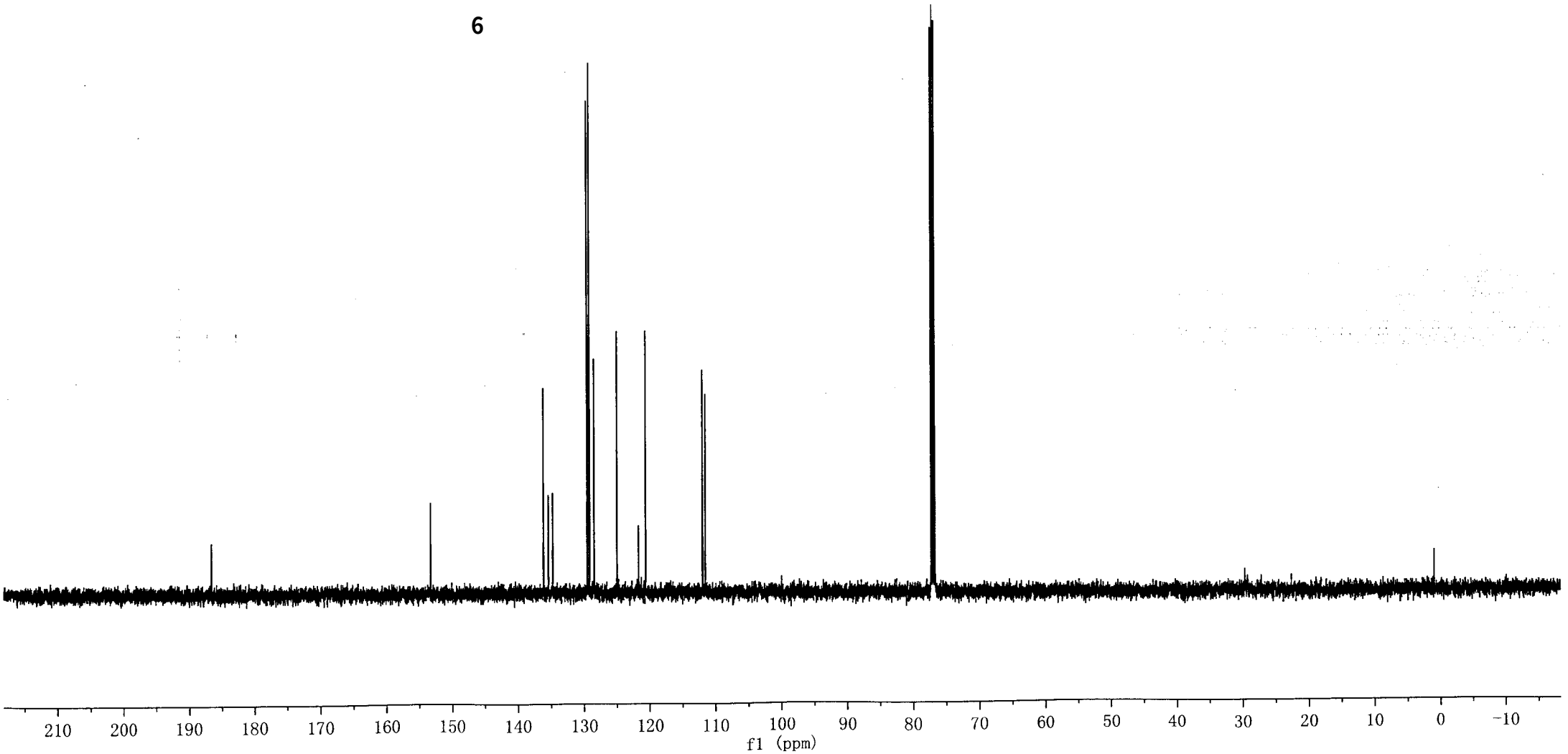

1.04
1.88
1.87
0.99
2.08
1.97
2.86
1.00
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)


Ns
N
Ph
O
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)


H
N
O
6
1.00
2.19
3.27
1.08
0.99
1.12
1.09
1.01
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)


H
N
O

6


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)