Supporting Information

Organocatalytic Enantioselective Construction of Axially Chiral (1*H*)-isochromen-1-imines

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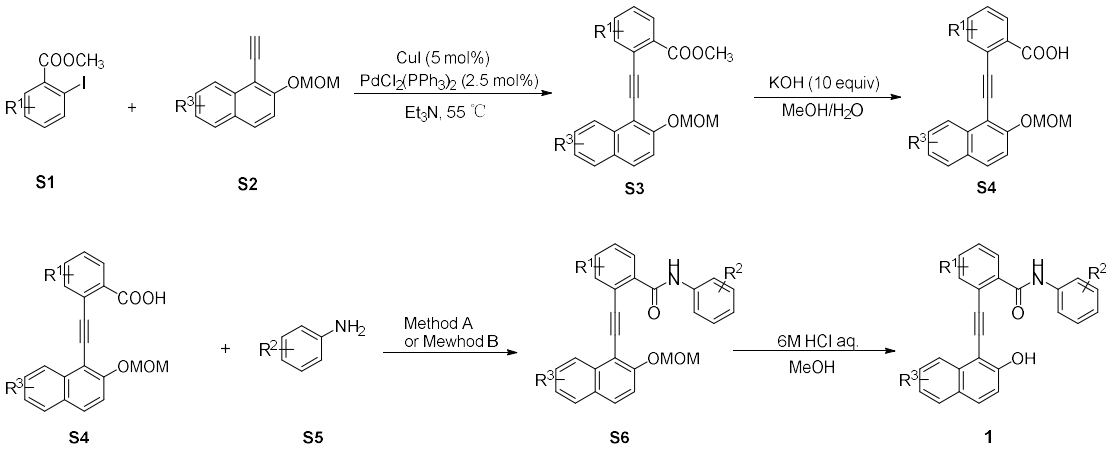
General information

Unless stated otherwise, all reactions were carried out in flame dried glassware. All solvents were purified and dried according to standard methods prior to use. ^{1}H NMR spectra was recorded on a Varian instrument (500 MHz or 400 MHz) and internally referenced to tetramethylsilane signal or residual protio solvent signals, while ^{13}C NMR was recorded on a Varian instrument (125 MHz or 100 MHz). Data for ^{1}H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, q = quartet or unresolved, coupling constant(s) in Hz, integration). Data for ^{13}C NMR are reported in terms of chemical shift (δ, ppm). IR spectra were recorded on a FT-IR spectrometer and only major peaks were reported in cm^{-1}. Optical rotations were reported as follows: $[\alpha]_D^{20}$ (c: g/100 mL, in solvent). High resolution mass spectra (HRMS) were obtained by the ESI ionization sources. The ee value determination was carried out using chiral HPLC with Daicel Chiracel column on Thermo Fisher.

General procedure and spectral data for the synthesis of 1



General procedure for the synthesis of S3

Under argon atmosphere, to a stirred solution of **S1** (10 mmol, 1.0 equiv), $PdCl_2(PPh_3)_2$ (2.5 mol%), CuI (5 mol%) in dry Et_3N (30 mL) was added **S2** (15 mmol, 1.5 equiv). Then the mixture was stirred for overnight at 55 ℃. After the completion of the reaction which was indicated by TLC, Et_3N was evaporated in vacuo and the resulting crude residue was extracted with EA and washed with water. Then organic extracts were dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude mixture was purified by flash chromatography (PE/EA 15:1) to afford **S3** as a yellow oil. The preparation of **S1** was followed the literature procedure.[1]

General procedure for the synthesis of S4

To a mixture of **S3** (8 mmol, 1.0 equiv) and KOH (80 mmol, 10 equiv) were added the mixed solvent of MeOH (30 mL) and H_2O (15 mL). The mixture was stirred at room temperature until the completion of the reaction. Then, the pH of reaction mixture was adjusted to acidity at 0 ℃ and extracted with EA. The combined organic extracts were dried over anhydrous Na_2SO_4 and concentrated in vacuo. **S4** could be obtained by crystallization using CH_2Cl_2/PE as a pale yellow solid.

General procedure for the synthesis of S6

There are two methods to process this condensation reaction according to the different positions of the substituents. When R^2 was at *meta* or *para* position of **S5**, the reaction was performed using method A, and otherwise, the method B was used.

Method A: Under argon atmosphere, to a stirred solution of **S4** (2 mmol, 1.0 equiv), EDCI (2.6 mmol, 1.3 equiv), HOBT (2.6 mmol, 1.3 equiv) and Et_3N (2.6 mmol, 1.3 equiv) in dry THF (10 mL) was added **S5** (2.4 mmol, 1.2 equiv). The mixture was stirred at room temperature until the completion of the reaction was indicated by TLC. Then, the reaction mixture was extracted with ethyl acetate, washed with water, dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude mixture was purified by flash chromatography to afford the desired **S6** as a white solid.

Method B: Under argon atmosphere, the mixture solution of EEDQ (2 mmol, 1.0 equiv) and **S4** (2 mmol, 1.0 equiv) in CH_2Cl_2 (10 mL) was stirred at room temperature. After half an hour later, **S5**

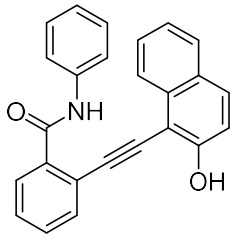
(2.4 mmol, 1.2 equiv) was added. The mixture was stirred at room temperature until the completion of the reaction was indicated by TLC. Then, the reaction mixture was extracted with ethyl acetate, washed with water, dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude mixture was purified by flash chromatography to afford the desired **S6** as a white solid.

General procedure for the synthesis of 1

To a stirred solution of **S6** (2 mmol, 1.0 equiv) in MeOH (10 mL) was added a few drops of 6M HCl aq. at room temperature. The mixture was stirred at room temperature until the completion of the reaction. Then, the reaction mixture was extracted with ethyl acetate, washed with water, dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude mixture was purified by flash chromatography to afford the desired **1** as a pale yellow solid.

Spectral data for *o*-alkynylbenzamide 1

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-phenylbenzamide (1a)



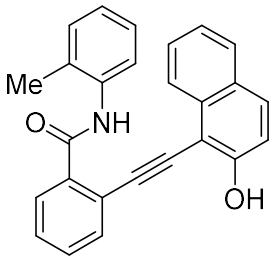
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.62 (s, 1H), 10.24 (s, 1H), 8.09 (d, J = 7.9 Hz, 1H), 7.85 (d, J = 7.4 Hz, 2H), 7.78 (dd, J = 12.7, 8.2 Hz, 3H), 7.65 (d, J = 9.2 Hz, 1H), 7.62 – 7.49 (m, 2H), 7.37 (t, J = 7.9 Hz, 2H), 7.28 – 7.18 (m, 2H), 7.16 – 7.07 (t, 1H), 7.06 – 6.94 (t, 1H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 166.8, 157.9, 139.4, 139.2, 133.9, 132.2, 130.6, 129.8, 128.7, 128.2, 128.0, 127.5, 127.4, 126.9, 124.6, 123.6, 123.4, 120.9, 119.7, 117.8, 102.0, 96.1, 88.4.

IR (KBr, cm^{-1}): 3298, 3120, 2200, 1658, 1582, 1478, 1201, 744, 676.

HRMS (ESI): $C_{25}H_{17}NO_2$+H, Calc: 364.1328, Found: 364.1332.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-(o-tolyl)benzamide (1b)



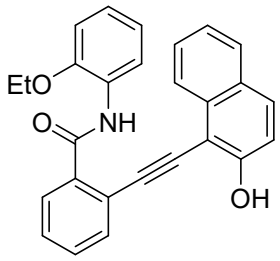
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.19 (s, 1H), 10.02 (d, J = 4.1 Hz, 1H), 8.19 (d, J = 8.2 Hz, 1H), 7.92 – 7.69 (m, 4H), 7.57 (dd, J = 15.7, 7.0 Hz, 3H), 7.38 – 7.07 (m, 6H), 2.24 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6): δ 166.6, 158.0, 138.5, 136.2, 133.9, 132.8, 132.6, 130.7, 130.4, 130.0, 128.2, 128.1, 127.9, 127.4, 127.1, 125.9, 125.8, 125.7, 124.6, 123.5, 121.1, 117.8, 102.1, 96.4, 88.5, 18.0.

IR (KBr, cm^{-1}): 3324, 3221, 1654, 1508, 1328, 1200, 831, 743, 621.

HRMS (ESI): $C_{26}H_{19}NO_2$+H, Calc: 378.1489, Found: 378.1489.

N-(2-ethoxyphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide(1c)



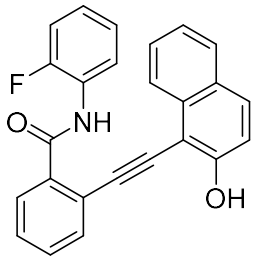
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.25 (s, 1H), 8.91 (s, 1H), 8.50 (d, J = 8.2 Hz, 1H), 8.20 (d, J = 7.8 Hz, 1H), 8.02 – 7.80 (m, 4H), 7.65 (t, J = 7.6 Hz, 1H), 7.57 (t, J = 7.5 Hz, 1H), 7.42 – 7.30 (m, 2H), 7.23 (d, J = 8.9 Hz, 1H), 7.11 (t, J = 7.8 Hz, 1H), 7.03 (t, J = 7.7 Hz, 1H), 6.97 (d, J = 8.9 Hz, 1H), 3.94 (q, J = 7.0 Hz, 2H), 1.21 (t, J = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 165.6, 159.9, 149.6, 137.8, 134.7, 133.9, 132.1, 131.9, 129.5, 129.2, 129.1, 128.7, 128.2, 125.6, 125.6, 124.7, 122.8, 121.7, 121.4, 118.5, 112.4, 103.1, 99.0, 89.9, 64.9, 14.8.

IR (KBr, cm^{-1}): 3376, 3216, 1721, 1525, 1311, 1089, 790, 745, 641.

HRMS (ESI): $C_{27}H_{21}NO_3$+H, Calc: 408.1603, Found: 408.1594.

N-(2-fluorophenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide(1d)



^{1}H NMR (400 MHz, Acetone-d_6): δ 9.65 (s, 1H), 8.81 (s, 1H), 8.24 (t, J = 8.3 Hz, 2H), 8.01 (d, J = 7.7 Hz, 1H), 7.95 – 7.80 (m, 3H), 7.71 – 7.63 (m, 1H), 7.57 (t, J = 7.6 Hz, 1H), 7.47 (t, J = 7.1 Hz, 1H), 7.36 (t, J = 7.5 Hz, 1H), 7.31 – 7.15 (m, 4H).

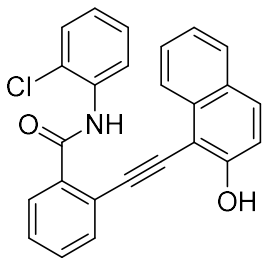
^{19}F NMR (376 MHz, Acetone-d_6): δ -126.33.

^{13}C NMR (125 MHz, Acetone-d_6): δ 167.4, 159.9, 156.5, 136.0 (d, J=302.4 Hz), 133.8, 132.1, 132.1, 129.6 (d, J = 34.0 Hz), 129.2, 129.2, 128.3, 127.2(d, J = 34.0 Hz), 127.0(d, J = 34.0 Hz), 125.6, 125.3(d, J = 3.8 Hz), 124.8, 123.0, 118.5, 116.4(d, J = 66.8 Hz), 103.2, 99.1, 89.6.

IR (KBr, cm^{-1}): 3402, 3306, 1778, 1622, 1212, 976, 775, 752, 701.

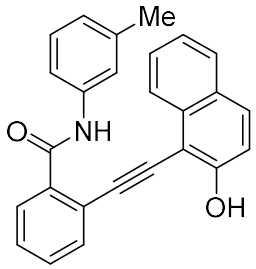
HRMS (ESI): $C_{25}H_{16}FNO_2$+H, Calc: 382.1265, Found: 382.1238.

N-(2-chlorophenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1e)



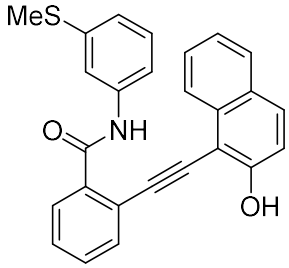
^{1}H NMR (400 MHz, DMSO-d_6): δ 9.99 (s, 2H), 8.18 (d, J = 9.3 Hz, 1H), 7.87 (d, J = 7.7 Hz, 1H), 7.85 – 7.71 (m, 4H), 7.61 (t, J = 7.2 Hz, 1H), 7.54 (dd, J = 15.5, 7.8 Hz, 2H), 7.39 (t, J = 7.6 Hz, 1H), 7.35 – 7.25 (m, 3H), 7.22 (d, J = 8.9 Hz, 1H).
^{13}C NMR (125 MHz, DMSO-d_6): δ 166.4, 158.3, 138.1, 134.8, 134.0, 132.7, 130.7, 130.4, 129.6, 128.2, 128.1, 127.4, 127.4, 127.1, 127.0, 124.5, 123.4, 121.2, 117.9, 102.0, 96.1, 89.2.
IR (KBr, cm^{-1}): 3287, 3109, 1725, 1627, 1378, 1129, 775, 677, 638.
HRMS (ESI): $C_{25}H_{16}ClNO_2$+H, Calc: 398.0954, Found: 398.0942.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-(m-tolyl)benzamide (1f)



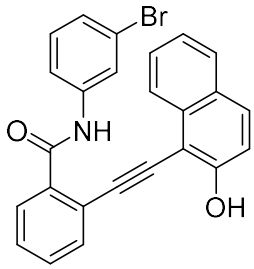
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.53 (s, 1H), 10.24 (s, 1H), 8.14 (d, J = 8.3 Hz, 1H), 7.99 – 7.74 (m, 3H), 7.71 (s, 1H), 7.64 (t, J = 7.2 Hz, 2H), 7.54 (dt, J = 14.8, 7.5 Hz, 2H), 7.37 – 7.15 (m, 3H), 7.07 (t, J = 7.6 Hz, 1H), 6.93 (d, J = 7.6 Hz, 1H), 2.29 (s, 3H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.7, 157.9, 139.3, 139.3, 137.8, 133.9, 132.2, 130.6, 129.8, 128.6, 128.2, 128.0, 127.5, 127.4, 127.0, 124.7, 124.3, 123.4, 120.9, 120.3, 117.8, 117.0, 102.1, 96.1, 88.4, 21.3.
IR (KBr, cm^{-1}): 3389, 3170, 1733, 1674, 1387, 1023, 835, 792, 724.
HRMS (ESI): $C_{26}H_{19}NO_2$+H, Calc: 378.1521, Found: 378.1489.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-(3-(methylthio)phenyl)benzamide (1g)



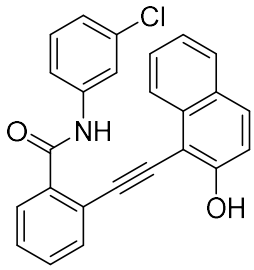
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.63 (s, 1H), 10.24 (s, 1H), 8.10 (d, J = 8.4 Hz, 1H), 7.88 – 7.74 (m, 4H), 7.65 (d, J = 7.6 Hz, 1H), 7.58 (t, J = 8.4 Hz, 2H), 7.52 (t, J = 7.5 Hz, 1H), 7.35 – 7.17 (m, 3H), 7.08 (t, J = 7.7 Hz, 1H), 7.01 (d, J = 7.8 Hz, 1H), 2.43 (s, 3H).
^{13}C NMR (125 MHz, DMSO-d_6): δ 166.9, 157.9, 139.8, 139.1, 138.6, 133.9, 132.2, 130.6, 129.9, 129.2, 128.2, 128.1, 127.5, 127.4, 126.9, 124.6, 123.4, 121.0, 120.9, 117.8, 116.8, 116.2, 102.0, 96.0, 88.4, 14.7.
IR (KBr, cm^{-1}): 3366, 3202, 1789, 1623, 1436, 1373, 852, 742, 676.
HRMS (ESI): $C_{26}H_{19}NO_2S$+H, Calc: 410.1238, Found: 410.1209

N-(3-bromophenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1h)



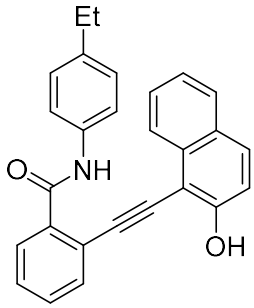
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.81 (s, 1H), 10.31 (s, 1H), 8.25 (d, J = 2.4 Hz, 1H), 8.09 (d, J = 8.3 Hz, 1H), 7.77 (t, J = 12.5 Hz, 4H), 7.67 (d, J = 8.8 Hz, 1H), 7.59 (t, J = 6.8 Hz, 1H), 7.53 (t, J = 6.9 Hz, 1H), 7.36 – 7.20 (m, 4H), 7.11 (t, J = 7.6 Hz, 1H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 167.1, 158.0, 140.9, 138.9, 133.9, 132.3, 130.8, 130.7, 130.0, 128.2, 128.1, 127.5, 127.4, 126.9, 126.3, 124.4, 123.4, 122.0, 121.6, 121.0, 118.5, 117.9, 102.0, 95.8, 88.6.
IR (KBr, cm^{-1}): 3289, 3108, 1726, 1583, 1366, 1099, 846, 805, 632.
HRMS (ESI): $C_{26}H_{16}BrNO_2$+H, Calc: 442.0457, Found: 442.0437.

N-(3-chlorophenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1i)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.82 (s, 1H), 10.30 (s, 1H), 8.09 (d, J = 8.1 Hz, 2H), 7.88 – 7.74 (m, 3H), 7.68 (dd, J = 14.6, 7.8 Hz, 2H), 7.59 (t, J = 7.6 Hz, 1H), 7.53 (t, J = 7.5 Hz, 1H), 7.39 (t, J = 8.1 Hz, 1H), 7.25 (dd, J = 12.8, 8.3 Hz, 2H), 7.18 (d, J = 5.6 Hz, 1H), 7.09 (t, J = 7.6 Hz, 1H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 167.1, 158.0, 140.8, 138.9, 138.9, 133.9, 133.1, 132.3, 130.7, 130.4, 130.0, 128.2, 128.1, 127.5, 127.4, 126.8, 124.4, 123.4, 123.3, 121.0, 119.1, 118.1, 117.9, 102.0, 95.8, 88.5.
IR (KBr, cm^{-1}): 3401.6, 3189, 1944, 1634, 1478, 1145, 902, 783, 684.
HRMS (ESI): $C_{25}H_{16}ClNO_2$+H, Calc: 398.0939, Found: 398.0942.

N-(4-ethylphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1j)



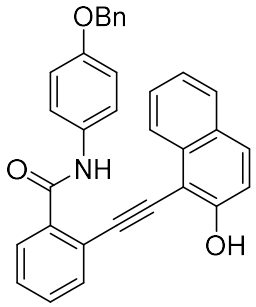
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.53 (s, 1H), 10.21 (s, 1H), 8.10 (d, J = 8.3 Hz, 1H), 7.84 – 7.70 (m, 5H), 7.65 (d, J = 7.5 Hz, 1H), 7.57 (t, J = 6.9 Hz, 1H), 7.51 (t, J = 7.3 Hz, 1H), 7.28 – 7.12 (m, 4H), 7.03 (t, J = 7.6 Hz, 1H), 2.59 (q, J = 7.6 Hz, 2H), 1.18 (t, J = 7.6 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 166.6, 157.9, 139.3, 139.1, 137.1, 133.9, 132.2, 130.6, 129.8, 128.1, 128.0, 127.9, 127.5, 127.4, 127.0, 124.6, 123.4, 120.9, 119.8, 117.8, 102.1, 96.2, 88.3, 27.7, 15.9.

IR (KBr, cm^{-1}): 3232, 2999, 1739, 1539, 1429, 1308, 1199, 828, 674.

HRMS (ESI): $C_{27}H_{21}NO_2$+H, Calc: 392.1668, Found: 392.1645.

N-(4-ethylphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1k)



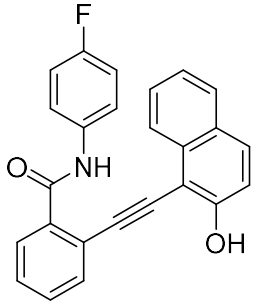
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.65 (s, 1H), 10.33 (s, 1H), 8.14 (d, J = 8.3 Hz, 1H), 7.85 – 7.74 (m, 3H), 7.66 (d, J = 7.7 Hz, 2H), 7.59 (t, J = 6.8 Hz, 1H), 7.53 (t, J = 7.3 Hz, 1H), 7.39 (ddd, J = 24.0, 17.7, 7.2 Hz, 6H), 7.25 (dd, J = 14.1, 8.4 Hz, 3H), 7.09 (t, J = 7.6 Hz, 1H), 6.79 (d, J = 8.2 Hz, 1H), 5.07 (s, 2H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 166.8, 158.6, 157.9, 140.5, 139.2, 137.0, 133.9, 132.2, 130.6, 129.9, 129.5, 128.4, 128.2, 128.0, 127.8, 127.6, 127.5, 127.4, 127.0, 124.6, 123.4, 120.9, 117.8, 112.4, 109.7, 106.6, 102.1, 96.0, 88.4, 69.2.

IR (KBr, cm^{-1}): 3339, 3135, 1739, 1679, 1451, 1374, 1003, 812, 732.

HRMS (ESI): $C_{32}H_{23}NO_3$+H, Calc: 470.1795, Found: 470.1751.

N-(4-fluorophenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1l)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.69 (s, 1H), 10.26 (s, 1H), 8.09 (d, J = 8.4 Hz, 1H), 7.88 (dd, J = 9.1, 5.1 Hz, 2H), 7.83 – 7.73 (m, 3H), 7.66 (d, J = 7.5 Hz, 1H), 7.58 (t, J = 7.6 Hz, 1H), 7.52 (t, J = 7.3 Hz, 1H), 7.23 (q, J = 10.0, 8.8 Hz, 4H), 7.09 (t, J = 7.6 Hz, 1H).

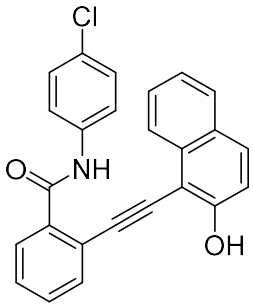
^{19}F NMR (376 MHz, DMSO): δ -118.79.

^{13}C NMR (125 MHz, DMSO-d_6): δ 166.7, 158.2(d, J = 220.7 Hz), 158.0, 139.1, 135.8, 133.9, 132.3, 130.6, 129.9, 128.1(d, J = 11.3 Hz), 127.5(d, J = 21.4 Hz), 126.9, 124.4, 123.4, 121.4, 121.4, 121.0, 117.8, 115.3(d, J = 22.7 Hz), 102.0, 96.0, 88.4.

IR (KBr, cm^{-1}): 3356, 3145, 1875, 1573, 1480, 989, 910, 776, 676.

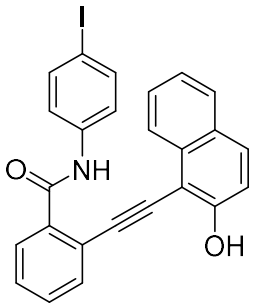
HRMS (ESI): $C_{25}H_{16}FNO_2$+H, Calc: 382.1239, Found: 382.1238.

N-(4-chlorophenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1m)



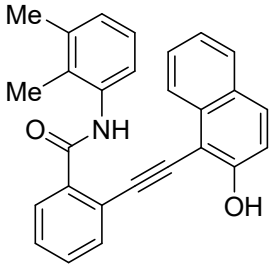
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.75 (s, 1H), 10.25 (s, 1H), 8.08 (d, J = 8.4 Hz, 1H), 7.89 (d, J = 8.8 Hz, 2H), 7.79 (t, J = 9.5 Hz, 3H), 7.66 (d, J = 7.6 Hz, 1H), 7.59 (t, J = 7.4 Hz, 1H), 7.53 (d, J = 7.5 Hz, 1H), 7.43 (d, J = 8.8 Hz, 2H), 7.32 – 7.17 (m, 2H), 7.10 (t, J = 7.6 Hz, 1H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.9, 158.0, 139.0, 138.3, 133.9, 132.3, 130.7, 130.0, 128.6, 128.2, 128.1, 127.6, 127.4, 127.2, 126.9, 124.4, 123.4, 121.2, 121.0, 117.8, 102.0, 96.0, 88.5.
IR (KBr, cm^{-1}): 3401, 3276, 2131, 1657, 1532, 1146, 1001, 778, 688.
HRMS (ESI): $C_{26}H_{16}ClNO_2$+H, Calc: 398.0934, Found: 398.1209.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-(4-iodophenyl)benzamide (1n)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.72 (s, 1H), 10.25 (s, 1H), 8.08 (d, J = 8.3 Hz, 1H), 7.87 – 7.74 (m, 3H), 7.68 (d, J = 23.1 Hz, 5H), 7.59 (t, J = 7.5 Hz, 1H), 7.53 (t, J = 7.5 Hz, 1H), 7.28 (t, J = 7.5 Hz, 1H), 7.23 (d, J = 8.9 Hz, 1H), 7.11 (t, J = 7.6 Hz, 1H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.9, 158.0, 139.2, 139.0, 137.4, 133.9, 132.3, 130.7, 130.0, 128.2, 128.1, 127.5, 127.4, 127.0, 124.4, 123.4, 121.8, 120.9, 117.8, 102.0, 96.0, 88.5, 87.2.
IR (KBr, cm^{-1}): 3336, 3285, 1638, 1508, 1202, 998, 832, 743, 683.
HRMS (ESI): $C_{26}H_{16}INO_2$+H, Calc: 490.0322, Found: 490.0299.

N-(2,3-dimethylphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1o)



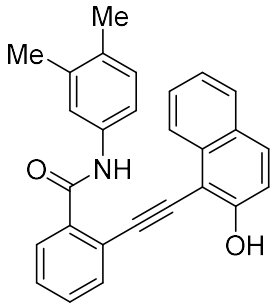
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.18 (s, 1H), 10.07 (s, 1H), 8.20 (d, J = 8.2 Hz, 1H), 7.80 (td, J = 13.3, 12.2, 8.2 Hz, 4H), 7.57 (m, J = 14.9, 7.4 Hz, 2H), 7.44 – 7.21 (m, 4H), 7.09 (d, J = 7.2 Hz, 2H), 2.23 (s, 3H), 2.11 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 166.7, 158.0, 138.5, 137.0, 136.0, 133.9, 132.6, 132.0, 130.7, 130.0, 128.2, 128.1, 127.9, 127.4, 127.4, 127.1, 125.2, 124.7, 124.2, 123.5, 121.1, 117.8, 102.1, 96.5, 88.5, 20.1, 14.3.

IR (KBr, cm^{-1}): 3373, 3209, 2200, 1738, 1616, 1276, 1066, 819, 751.

HRMS (ESI): $C_{27}H_{21}NO_2$+H, Calc: 392.1660, Found: 392.1645.

N-(3,4-dimethylphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1p)



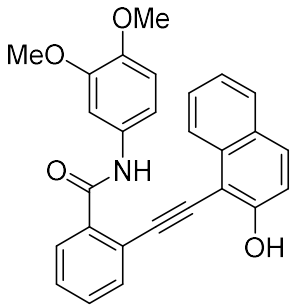
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.43 (s, 1H), 10.21 (s, 1H), 8.17 (d, J = 8.4 Hz, 1H), 7.86 – 7.71 (m, 3H), 7.68 – 7.61 (m, 2H), 7.60 – 7.46 (m, 3H), 7.28 (t, J = 7.5 Hz, 1H), 7.23 (d, J = 8.9 Hz, 1H), 7.17 – 7.07 (m, 2H), 2.19 (s, 6H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 166.5, 157.9, 139.3, 137.0, 136.2, 133.9, 132.3, 131.4, 130.6, 129.8, 129.5, 128.2, 128.0, 127.6, 127.4, 127.0, 124.7, 123.5, 121.0, 117.8, 117.3, 102.1, 96.2, 88.3, 19.7, 18.8.

IR (KBr, cm^{-1}): 3372, 3208, 2199, 1740, 1629, 1277, 1071, 819, 750.

HRMS (ESI): $C_{27}H_{21}NO_2$+H, Calc: 392.1666, Found: 392.1645.

N-(3,4-dimethoxyphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1q)



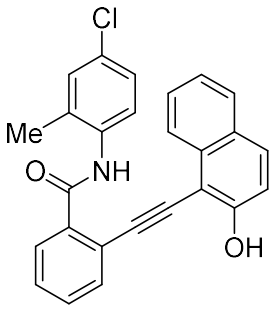
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.75 (s, 1H), 8.96 (s, 1H), 8.26 (d, J = 8.3 Hz, 1H), 7.95 – 7.81 (m, 4H), 7.67 – 7.59 (m, 2H), 7.57 – 7.47 (m, 2H), 7.37 (t, J = 7.5 Hz, 2H), 7.22 (d, J = 8.9 Hz, 1H), 6.95 (d, J = 8.6 Hz, 1H), 3.81 (s, 6H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 167.2, 160.1, 150.4, 147.4, 138.3, 134.6, 133.4, 132.1, 131.7, 129.2, 129.2, 128.9, 128.4, 125.6, 124.8, 124.8, 123.0, 123.0, 118.6, 113.4, 113.1, 106.7, 103.2, 99.5, 89.2, 56.5, 56.1.

IR (KBr, cm^{-1}): 3256, 3176, 1730, 1651, 1450, 1206, 1049, 822, 743.

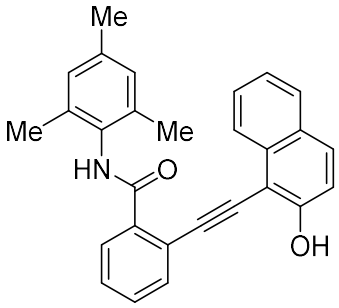
HRMS (ESI): $C_{27}H_{21}NO_4$+H, Calc: 424.1565, Found: 424.1543.

N-(4-chloro-2-methylphenyl)-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1r)



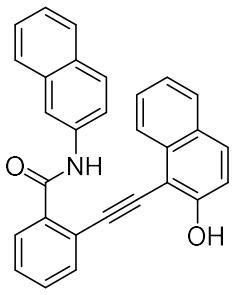
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.22 (s, 1H), 10.07 (s, 1H), 8.17 (d, J = 8.1 Hz, 1H), 7.91 – 7.68 (m, 4H), 7.67 – 7.47 (m, 3H), 7.39 – 7.08 (m, 5H), 2.23 (s, 3H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.6, 158.0, 138.2, 135.2, 135.1, 134.0, 132.6, 130.7, 130.1, 129.9, 129.5, 128.2, 128.2, 128.0, 127.4, 127.2, 127.1, 125.8, 124.5, 123.5, 121.1, 117.8, 102.0, 96.3, 88.7, 19.3.
IR (KBr, cm^{-1}): 3403, 3249, 1790, 1740, 1434, 1267, 1068, 760, 750.
HRMS (ESI): $C_{26}H_{18}ClNO_2$+H, Calc: 412.1104, Found: 412.1099.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-mesitylbenzamide (1s)



^{1}H NMR (500 MHz, DMSO-d_6): δ 9.99 (s, 1H), 9.80 (s, 1H), 8.21 (d, J = 8.4 Hz, 1H), 7.90 – 7.77 (m, 4H), 7.63 – 7.52 (m, 2H), 7.43 – 7.32 (m, 2H), 7.22 (d, J = 8.9 Hz, 1H), 6.93 (s, 2H), 2.24 (s, 3H), 2.17 (s, 6H).
^{13}C NMR (125 MHz, DMSO-d_6): δ 166.4, 158.1, 138.1, 135.7, 135.3, 133.8, 132.8, 132.2, 130.7, 130.1, 128.3, 128.2, 128.1, 127.9, 127.4, 127.1, 124.7, 123.6, 121.2, 117.7, 102.1, 96.7, 88.3, 20.5, 18.1.
IR (KBr, cm^{-1}): 3403, 3249, 1745, 1708, 1285, 1197, 1054, 832, 752.
HRMS (ESI): $C_{28}H_{23}NO_2$+H, Calc: 406.1821, Found: 406.1802.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-(naphthalen-2-yl)benzamide (1t)



^{1}H NMR (400 MHz, Acetone-d_6): δ 10.08 (s, 1H), 8.87 (s, 1H), 8.58 (s, 1H), 8.28 (d, J = 8.4 Hz, 1H), 7.98 (d, J = 7.7 Hz, 1H), 7.94 – 7.86 (m, 5H), 7.86 – 7.77 (m, 2H), 7.66 (t, J = 7.6 Hz, 1H),

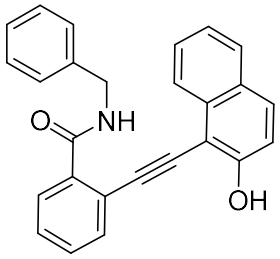
7.54 (dt, *J* = 20.8, 7.9 Hz, 2H), 7.44 (q, *J* = 8.3 Hz, 2H), 7.33 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.9 Hz, 1H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 167.8, 159.9, 138.2, 137.6, 134.9, 134.7, 133.6, 132.1, 131.8, 131.8, 129.4, 129.2, 129.2, 129.2, 129.2, 128.6, 128.6, 128.3, 127.4, 126.0, 125.6, 124.7, 123.0, 121.6, 118.5, 118.0, 103.2, 99.3, 89.3.

IR (KBr, cm^{-1}): 3343, 3211, 1676, 1530, 1437, 1196, 977, 824, 753.

HRMS (ESI): $C_{29}H_{19}NO_2$+H, Calc: 414.1502, Found: 414.1489.

N-benzyl-2-((2-hydroxynaphthalen-1-yl)ethynyl)benzamide (1u)



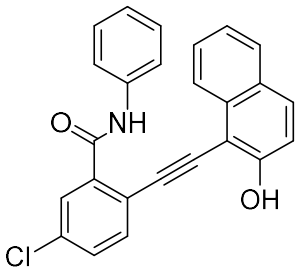
^{1}H NMR (500 MHz, DMSO-d_6): δ 10.25 (s, 1H), 9.17 (t, *J* = 6.1 Hz, 1H), 8.26 (s, 1H), 7.92 – 7.83 (m, 2H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 7.6 Hz, 1H), 7.59 – 7.47 (m, 3H), 7.38 (t, *J* = 8.1 Hz, 3H), 7.26 (d, *J* = 9.0 Hz, 1H), 7.17 (p, *J* = 6.8 Hz, 3H), 4.56 (d, *J* = 6.1 Hz, 2H).

^{13}C NMR (125 MHz, DMSO-d_6): δ 167.5, 158.1, 139.2, 137.8, 133.9, 132.5, 130.8, 130.1, 128.2, 128.2, 128.2, 128.0, 128.0, 127.5, 127.4, 127.2, 126.7, 124.6, 123.6, 120.9, 117.8, 102.1, 96.8, 88.6, 42.8.

IR (KBr, cm^{-1}): 3405, 3229, 1756, 1620, 1434, 1227, 977, 760, 750.

HRMS (ESI): $C_{26}H_{19}NO_2$+H, Calc: 378.1494, Found: 378.1489.

5-chloro-2-((2-hydroxynaphthalen-1-yl)ethynyl)-N-phenylbenzamide (1v)



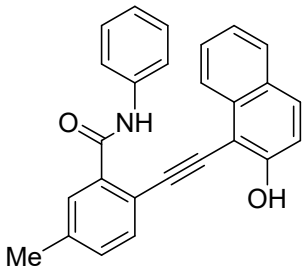
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.71 (s, 1H), 10.39 (s, 1H), 8.08 (d, *J* = 8.4 Hz, 1H), 7.91 – 7.73 (m, 6H), 7.66 (d, *J* = 2.4 Hz, 1H), 7.39 (t, *J* = 7.9 Hz, 2H), 7.23 (dd, *J* = 8.4, 5.0 Hz, 2H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.02 (t, *J* = 7.6 Hz, 1H).

^{13}C NMR (125 MHz, DMSO-d_6): δ 165.3, 158.1, 140.8, 139.2, 133.9, 133.8, 132.6, 130.9, 129.8, 128.8, 128.1, 127.4, 127.4, 127.0, 124.5, 123.8, 123.4, 120.0, 120.0, 117.8, 101.8, 95.1, 89.4.

IR (KBr, cm^{-1}): 3289, 3164, 1740, 1636, 1588, 1435, 1035, 845, 752.

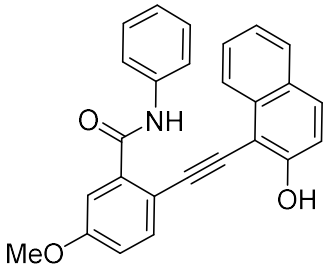
HRMS (ESI): $C_{26}H_{16}ClNO_2$+H, Calc: 398.0954, Found: 398.0942

2-((2-hydroxynaphthalen-1-yl)ethynyl)-5-methyl-N-phenylbenzamide (1w)



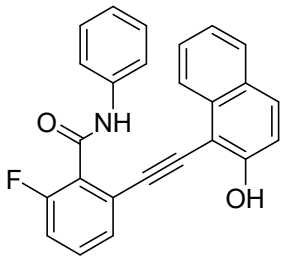
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.59 (s, 1H), 10.17 (s, 1H), 8.12 (d, J = 8.4 Hz, 1H), 7.87 (d, J = 8.1 Hz, 2H), 7.78 (t, J = 8.9 Hz, 2H), 7.67 (d, J = 7.8 Hz, 1H), 7.49 (s, 1H), 7.38 (t, J = 7.9 Hz, 3H), 7.23 (dd, J = 8.5, 5.0 Hz, 2H), 7.12 (t, J = 7.3 Hz, 1H), 7.04 (t, J = 7.6 Hz, 1H), 2.42 (s, 3H).
^{13}C NMR (125 MHz, DMSO-d_6): δ 166.8, 157.8, 139.4, 139.1, 138.1, 133.9, 132.2, 130.5, 130.4, 128.7, 128.0, 127.4, 126.9, 124.6, 123.6, 123.4, 119.7, 118.1, 117.8, 102.3, 96.3, 87.6, 20.9.
IR (KBr, cm^{-1}): 3378, 3164, 1749, 1620, 1437, 1478, 1029, 833, 754.
HRMS (ESI): $C_{26}H_{19}NO_2$+H, Calc: 378.1521, Found: 378.1489.

2-((2-hydroxynaphthalen-1-yl)ethynyl)-5-methoxy-N-phenylbenzamide (1x)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.61 (s, 1H), 10.11 (s, 1H), 8.11 (d, J = 8.4 Hz, 1H), 7.87 (d, J = 8.1 Hz, 2H), 7.81 – 7.67 (m, 3H), 7.38 (t, J = 7.9 Hz, 2H), 7.31 – 7.19 (m, 3H), 7.19 – 7.10 (m, 2H), 7.03 (t, J = 7.6 Hz, 1H), 3.89 (s, 3H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.4, 158.9, 157.5, 140.7, 139.3, 133.9, 133.8, 130.1, 128.7, 128.0, 127.4, 126.8, 124.6, 123.7, 123.4, 119.7, 117.8, 115.8, 113.0, 113.0, 102.5, 96.2, 86.6, 55.6.
IR (KBr, cm^{-1}): 3353, 3185, 1648, 1638, 1578, 1202, 1102, 834, 753.
HRMS (ESI): $C_{26}H_{19}NO_3$+H, Calc: 394.1432, Found: 394.1438.

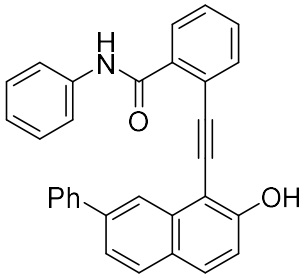
2-fluoro-6-((2-hydroxynaphthalen-1-yl)ethynyl)-N-phenylbenzamide (1y)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.91 (s, 1H), 10.43 (s, 1H), 7.98 (d, J = 8.3 Hz, 1H), 7.89 – 7.72 (m, 4H), 7.58 (t, J = 4.9 Hz, 2H), 7.45 – 7.34 (m, 3H), 7.21 (dd, J = 8.4, 4.3 Hz, 2H), 7.15 (t, J = 7.4 Hz, 1H), 6.93 – 6.84 (m, 1H).
^{19}F NMR (376 MHz, DMSO-d_6): δ -116.36.

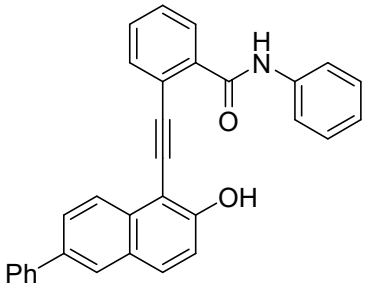
^{13}C NMR (100 MHz, DMSO-d_6): δ 162.1, 158.2(d, J = 246.4 Hz), 158.2, 139.1, 133.9, 131.1, 131.0, 128.9, 128.1, 127.9(d, J = 3.0 Hz), 127.7, 127.1(d, J = 27.3 Hz), 124.2, 123.9, 123.4, 123.0(d, J = 6.1 Hz), 119.4, 117.8, 115.7(d, J = 21.2 Hz), 101.5, 94.5, 94.5, 89.0.
IR (KBr, cm^{-1}): 3379, 3186, 1753, 1716, 1535, 1196, 1049, 824, 749.
HRMS (ESI): $C_{25}H_{16}FNO_2$+H, Calc: 382.1233, Found: 382.1233.

2-((2-hydroxy-7-phenylnaphthalen-1-yl)ethynyl)-N-phenylbenzamide (1z)



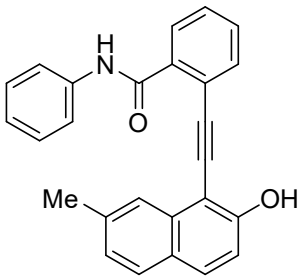
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.49 (s, 1H), 10.32 (s, 1H), 8.56 (s, 1H), 7.88 (dd, J = 14.4, 9.2 Hz, 4H), 7.80 (d, J = 7.6 Hz, 1H), 7.70 (dt, J = 14.8, 7.0 Hz, 4H), 7.61 (t, J = 7.0 Hz, 1H), 7.52 (dt, J = 20.5, 7.5 Hz, 3H), 7.43 (t, J = 7.2 Hz, 1H), 7.26 (d, J = 8.8 Hz, 1H), 7.13 (t, J = 7.9 Hz, 2H), 6.98 (t, J = 7.3 Hz, 1H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.3, 158.6, 140.0, 139.1, 138.5, 134.5, 132.8, 130.4, 130.1, 128.9, 128.8, 128.4, 128.1, 127.8, 127.6, 127.3, 126.7, 123.4, 122.8, 122.0, 121.4, 119.6, 117.8, 102.5, 96.5, 88.3.
IR (KBr, cm^{-1}): 3383, 3253, 1746, 1616, 1513, 1277, 975, 819, 750.
HRMS (ESI): $C_{31}H_{21}NO_2$+H, Calc: 440.1668, Found: 440.1645.

2-((2-hydroxy-6-phenylnaphthalen-1-yl)ethynyl)-N-phenylbenzamide (1aa)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.69 (s, 1H), 10.34 (s, 1H), 8.13 (d, J = 8.7 Hz, 1H), 8.08 (s, 1H), 7.89 (t, J = 8.4 Hz, 3H), 7.78 (d, J = 7.0 Hz, 1H), 7.66 (d, J = 7.6 Hz, 3H), 7.59 (t, J = 8.4 Hz, 1H), 7.51 (q, J = 8.8, 7.8 Hz, 3H), 7.39 (dt, J = 14.4, 7.6 Hz, 3H), 7.25 (d, J = 8.9 Hz, 1H), 7.21 – 7.11 (m, 2H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 166.9, 158.0, 139.7, 139.5, 139.5, 134.9, 133.1, 132.1, 131.0, 129.8, 129.0, 128.8, 128.2, 127.7, 127.5, 127.3, 126.5, 125.9, 125.5, 125.2, 123.6, 120.8, 119.8, 118.3, 102.0, 96.1, 88.3.
IR (KBr, cm^{-1}): 3382, 3253, 1753, 1584, 1435, 1051, 831, 778, 750.
HRMS (ESI): $C_{31}H_{21}NO_2$+H, Calc: 440.1644, Found: 440.1645.

2-((2-hydroxy-7-methylnaphthalen-1-yl)ethynyl)-N-phenylbenzamide (1ab)



^{1}H NMR (400 MHz, DMSO-d_6): δ 10.55 (s, 1H), 10.23 (s, 1H), 8.00 (s, 1H), 7.88 – 7.72 (m, 4H), 7.69 (t, J = 6.8 Hz, 2H), 7.56 (dq, J = 15.2, 7.6 Hz, 2H), 7.31 (t, J = 7.8 Hz, 2H), 7.13 (t, J = 7.4 Hz, 2H), 7.07 (t, J = 7.5 Hz, 1H), 2.27 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 166.6, 158.1, 139.2, 138.8, 136.9, 134.2, 132.5, 130.4, 130.0, 128.7, 128.6, 128.1, 127.9, 127.8, 125.6, 125.6, 123.7, 123.6, 121.2, 119.8, 116.7, 101.6, 96.0, 88.6, 21.1.

IR (KBr, cm^{-1}): 3374, 3188, 1754, 1608, 1328, 1283, 1055, 809, 751.

HRMS (ESI): $C_{26}H_{19}NO_2$+H, Calc: 378.1499, Found: 378.1489.

^{1}H NMR and enantioselectivities studies

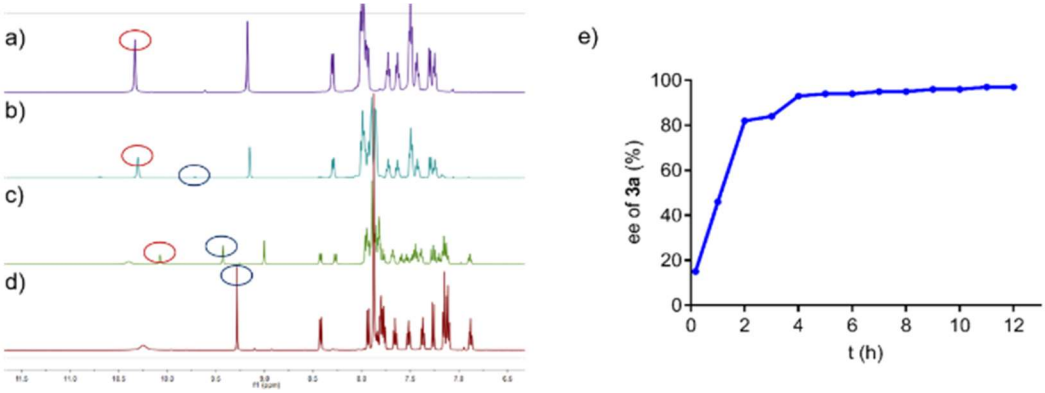
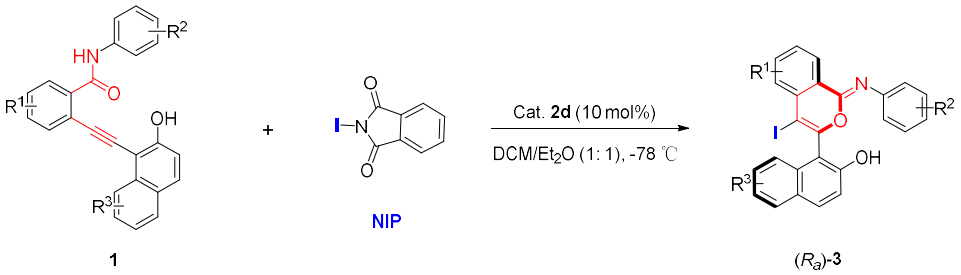


Figure S1. ^{1}H NMR and enantioselectivities studies. a) ^{1}H NMR spectrum of **1a** at -50 ℃. b) ^{1}H NMR spectrum of **1a** treated by NIP (1.0 equiv) at -50 ℃ after 5min. c) ^{1}H NMR spectrum of **1a** treated by NIP (1.0 equiv) from -50 ℃ to -20 ℃ after 15 min. d) ^{1}H NMR spectrum of **1a** treated by NIP (1.0 equiv) from -50 ℃ to 0 ℃ after 25 min. e) ee of **3a** measured at different times.

To our surprise, the reaction proceeded very quickly, for which 100% conversion was monitored by thin-layer chromatography (TLC) within minutes. However, enantioselectivities showed a poor repeatability in different times under the same reaction conditions. When the reaction time was extended to overnight, enantioselectivities could remain consistent with 77% ee (Table 1, entry 1). Due to the fast reaction progress and the inconsistent operation, it was difficult to maintain the repeatability of enantioselectivities. We speculated that the conversion of the reaction was incomplete at -78 ℃, while the complete conversion occurs quickly in the sampling capillary during the TLC monitoring. This conjecture had also been confirmed by NMR experiments (see Supporting Information for details).

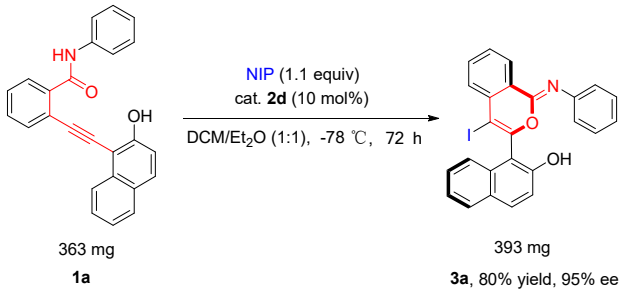
In order to investigate the rapid conversion of *o*-alkynylbenzamides during the TLC monitoring within minutes, ^{1}H NMR experiment was carried out. As shown in Figure 2, the characteristic peaks of the product **3a** was observed by ^{1}H NMR spectrum after **1a** treated by NIP at -50 ℃ for 5 min (Figure 2b). During this process, the reaction achieved 10% conversion. While 50% conversion was carried out after **1a** treated by NIP for 15 minutes during the temperature rising from -50 ℃ to -20 ℃ (Figure 2c). And complete conversion could be observed after **1a** treated by NIP for 25 minutes during the temperature rising from -50 ℃ to 0 ℃ (Figure 2d). Therefore, 100% conversion could be easily achieved when the reaction temperature rose rapidly from -78 ℃ to room temperature in minutes during the TLC monitoring. Furthermore, to prove that the complete conversion of reaction needed more time at -78 ℃, ee of **3a** was dynamically monitored and shown in Figure 2e. We also tested the stability of the **3a** at 75 ℃ for 12 h, and there was no racemization. As a result, the low enantioselectivity before the complete conversion of reaction can be considered to be the absence of the catalyst or weakened chiral control during the rise of temperature.

General procedure and spectral data for the synthesis of 3



To a solution of **1** (0.05 mmol) in DCM: Et_2O (1:1 vol/vol, 1 mL) was added catalyst **2d** (10 mol%) at -78 ℃. Then, NIP (1.1 equiv, 0.055 mmol) dissolved in DCM: Et_2O (1:1 vol/vol, 1 mL) was added slowly. After the reaction performed completely, the solvent was removed under vacuum and residue was purified by flash column chromatography (petroleum ether/DCM 1:10) to give the pure desired products (R_a)-**3**.

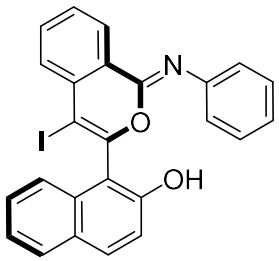
1.0 mmol scale for the synthesis of 3a



To a solution of **1** (363mg, 1.0 mmol) in DCM: Et_2O (1:1 vol/vol, 20 mL) was added catalyst **2d** (65 mg, 10 mol%) at -78 ℃. Then, NIP (247 mg, 1.1 mmol) dissolved in DCM: Et_2O (1:1 vol/vol, 20 mL) was added slowly. Then the reaction mixture was stirred at -78 ℃. After the reaction performed completely, the solvent was removed under vacuum and residue was purified by flash column chromatography (petroleum ether/DCM 1:10) to give the pure desired products (R_a)-**3a** as a while solid.

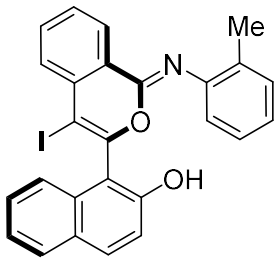
Spectral data for (1*H*)-isochromen-1-imines 3

(R_a)-1-(4-iodo-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3a)



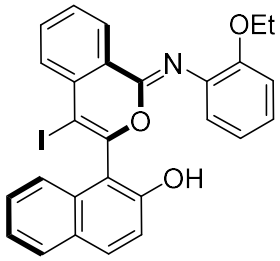
Appearance: white solid.
Yield: 99%, 24.3 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.13 (s, 1H), 8.42 (d, J = 7.1 Hz, 1H), 7.91 (d, J = 9.0 Hz, 1H), 7.85 (d, J = 8.2 Hz, 1H), 7.78 (q, J = 7.5, 7.0 Hz, 3H), 7.63 (t, J = 8.3 Hz, 1H), 7.54 – 7.45 (m, 1H), 7.35 (t, J = 7.0 Hz, 1H), 7.26 (d, J = 8.9 Hz, 1H), 7.19 – 7.04 (m, 4H), 6.95 – 6.82 (m, 1H).
^{13}C NMR (125 MHz, Acetone-d_6): δ 154.4, 151.8, 149.9, 147.1, 135.6, 134.1, 133.4, 132.8, 131.5, 130.4, 129.3, 129.3, 129.2, 128.3, 125.2, 124.5, 124.5, 124.4, 123.7, 119.4, 117.1, 82.7.
HRMS (ESI): $C_{25}H_{16}INO_2$+H, Calc: 490.0290, Found: 490.0299.
Optical Rotation: $[\alpha]_D^{20}$ = +28° (c = 1, acetone).
IR (KBr, cm^{-1}): 3085, 1643, 1588, 1488, 1434, 1274, 1039, 939, 817, 744.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.473 min (minor), t_R = 7.767 min (major).

(R_a)-1-(4-iodo-1-(o-tolylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3b)



Appearance: white solid.
Yield: 99%, 24.9 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.09 (s, 1H), 8.47 (d, J = 7.9 Hz, 1H), 7.90 – 7.69 (m, 5H), 7.66 – 7.60 (m, 1H), 7.54 – 7.44 (m, 1H), 7.38 – 7.31 (m, 1H), 7.22 (d, J = 8.9 Hz, 1H), 7.02 (d, J = 8.1 Hz, 2H), 6.88 (t, J = 7.7 Hz, 1H), 6.75 (t, J = 8.1 Hz, 1H), 2.21 (s, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.3, 152.0, 149.4, 146.1, 135.5, 134.1, 133.4, 132.7, 131.4, 130.8, 130.6, 130.4, 129.2, 129.1, 128.4, 128.2, 126.7, 125.0, 124.4, 124.4, 124.1, 121.8, 119.3, 117.2, 82.5, 18.4.
HRMS (ESI): $C_{26}H_{18}INO_2$+H, Calc: 504.0448, Found: 504.0455.
Optical Rotation: $[\alpha]_D^{20}$ = +127° (c = 1, acetone).
IR (KBr, cm^{-1}): 2923, 1721, 1652, 1579, 1434, 1275, 1115, 1038, 819.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.890 min (minor), t_R = 7.613 min (major).

(R_a)-1-(1-((2-ethoxyphenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3c)



Appearance: white solid.

Yield: 89%, 23.7 mg

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.05 (s, 1H), 8.44 (d, J = 7.9 Hz, 1H), 7.91 – 7.69 (m, 5H), 7.62 (t, J = 6.4 Hz, 1H), 7.55 – 7.45 (m, 1H), 7.34 (t, J = 7.5 Hz, 1H), 7.20 (d, J = 8.9 Hz, 1H), 6.96 (d, J = 9.3 Hz, 1H), 6.77 (d, J = 8.3 Hz, 2H), 6.70 – 6.63 (m, 1H), 3.94 (d, J = 6.8, 2.8 Hz, 2H), 1.30 (t, J = 7.0 Hz, 3H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 154.3, 152.1, 151.2, 150.2, 137.4, 135.5, 134.0, 133.5, 132.6, 131.4, 130.3, 129.2, 129.1, 128.5, 128.0, 124.9, 124.8, 124.5, 124.3, 123.0, 121.3, 119.3, 117.3, 114.0, 82.4, 64.7, 15.4.

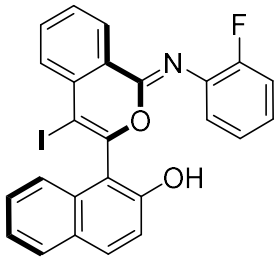
HRMS (ESI): $C_{27}H_{20}IN0_3$+H, Calc: 534.0556, Found: 534.0561.

Optical Rotation: $[\alpha]_D^{20}$ = +54° (c = 1, acetone).

IR (KBr, cm^{-1}): 2968, 1646, 1588, 1435, 1271, 1189, 1044, 970, 816.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 9.053 min (minor), t_R = 18.433 min (major).

(R_a)-1-(1-((2-fluorophenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3d)



Appearance: yellow solid.

Yield: 90%, 22.9 mg

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.14 (s, 1H), 8.45 (d, J = 7.7 Hz, 1H), 7.94 – 7.75 (m, 4H), 7.72 (d, J = 8.4 Hz, 1H), 7.65 (t, J = 8.3 Hz, 1H), 7.49 (t, J = 7.6 Hz, 1H), 7.34 (t, J = 7.5 Hz, 1H), 7.23 (d, J = 8.9 Hz, 1H), 7.20 – 7.09 (m, 1H), 6.98 – 6.77 (m, 3H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 156.3, 154.4(d, J = 325.0 Hz), 151.8, 135.7, 135.4(d, J = 12.6 Hz), 134.5, 133.4, 132.8, 131.6, 130.5, 129.2, 129.1, 128.4(d, J = 39.1 Hz), 125.3(d, J = 7.6 Hz), 124.9(d, J = 6.3 Hz), 124.8, 124.5, 124.4, 124.4, 119.3, 116.9, 116.4, 116.3, 83.0.

^{19}F NMR (376 MHz, Acetone-d_6): δ -124.53.

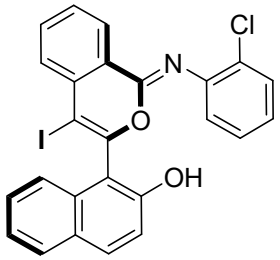
HRMS (ESI): $C_{25}H_{15}FINO_2$+H, Calc: 508.0143, Found: 508.0224.

Optical Rotation: $[\alpha]_D^{20}$ = +31° (c = 1, acetone).

IR (KBr, cm^{-1}): 3194, 1725, 1605, 1604, 1308, 1242, 1031, 838, 819.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.570 min (minor), t_R = 9.383 min (major).

(R_a)-1-(1-((2-chlorophenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3e)



Appearance: white solid.

Yield: 84%, 22.0 mg

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.15 (s, 1H), 8.48 (d, J = 7.8 Hz, 1H), 7.89 – 7.71 (m, 5H), 7.65 (t, J = 8.2 Hz, 1H), 7.48 (t, J = 7.5 Hz, 1H), 7.33 (t, J = 7.5 Hz, 1H), 7.23 (t, J = 8.2 Hz, 2H), 7.16 (d, J = 9.4 Hz, 1H), 7.01 (t, J = 7.3 Hz, 1H), 6.83 (t, J = 7.7 Hz, 1H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 154.4, 151.8, 151.3, 145.0, 135.7, 134.6, 133.4, 132.8, 131.5, 130.5, 130.2, 129.2, 129.1, 128.6, 128.2, 127.9, 127.1, 125.2, 124.5, 124.4, 124.3, 123.8, 119.2, 116.8, 83.0.

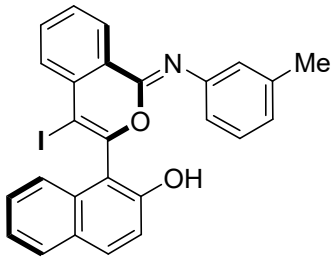
HRMS (ESI): $C_{25}H_{15}ClINO_2$+H, Calc: 523.9915, Found: 523.9909

Optical Rotation: $[\alpha]_D^{20}$ = +27° (c = 1, acetone).

IR (KBr, cm^{-1}): 2969, 1648, 1578, 1435, 1350, 1276, 1189, 939, 818.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.270 min (minor), t_R = 8.067 min (major).

(R_a)-1-(4-iodo-1-(m-tolylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3f)



Appearance: white solid.

Yield: 88%, 22.2 mg

^{1}H NMR (400 MHz, DMSO-d_6): δ 10.26 (s, 1H), 8.32 (d, J = 7.8 Hz, 1H), 7.92 – 7.75 (m, 3H), 7.72 – 7.59 (m, 3H), 7.48 (t, J = 7.6 Hz, 1H), 7.38 – 7.28 (m, 1H), 7.24 (d, J = 8.9 Hz, 1H), 7.04 – 6.89 (m, 2H), 6.85 (d, J = 7.8 Hz, 1H), 6.69 (d, J = 7.6 Hz, 1H), 2.03 (s, 3H).

^{13}C NMR (125 MHz, DMSO-d_6): δ 153.6, 150.6, 149.0, 145.6, 137.5, 134.3, 133.5, 132.0, 131.5, 130.2, 129.5, 128.3, 128.1, 127.4, 127.2, 126.9, 124.2, 123.3, 123.1, 123.1, 119.5, 118.3, 115.4, 82.0, 20.8.

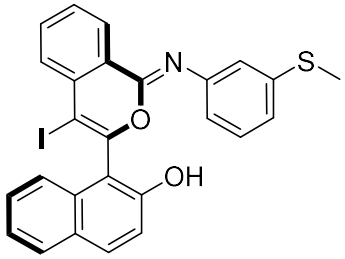
HRMS (ESI):$C_{26}H_{18}INO_2$+H, Calc: 504.0468, Found: 504.0455

Optical Rotation: $[\alpha]_D^{20}$ = +37° (c = 1, acetone).

IR (KBr, cm^{-1}): 2922, 1646, 1595, 1434, 1275, 1123, 1041, 968, 819.

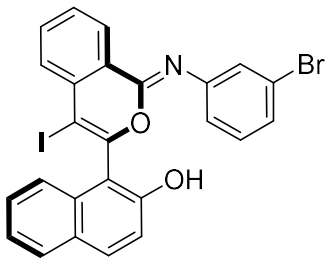
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.490 min (minor), t_R = 7.663 min (major).

(R_a)-1-(4-iodo-1-((3-(methylthio)phenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3g)



Appearance: white solid.
Yield: 85%, 22.7 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.13 (s, 1H), 8.42 (d, J = 7.8 Hz, 1H), 7.93 (d, J = 8.9 Hz, 1H), 7.87 (d, J = 8.2 Hz, 1H), 7.82 – 7.74 (m, 3H), 7.64 (t, J = 8.3 Hz, 1H), 7.50 (t, J = 6.9 Hz, 1H), 7.36 (t, J = 7.5 Hz, 1H), 7.26 (d, J = 8.9 Hz, 1H), 7.11 (s, 1H), 7.05 (t, J = 7.9 Hz, 1H), 6.91 (d, J = 7.9 Hz, 1H), 6.78 (d, J = 10.6 Hz, 1H), 2.06 (d, J = 5.4 Hz, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.4, 151.7, 150.3, 147.5, 139.6, 135.6, 134.2, 133.4, 132.8, 131.5, 130.5, 129.6, 129.3, 129.2, 128.4, 128.3, 125.1, 124.5, 122.8, 121.1, 120.7, 119.4, 117.1, 82.8, 15.5.
HRMS (ESI): $C_{26}H_{18}INO_2S$+H, Calc: 536.3983, Found: 536.3960
Optical Rotation: $[\alpha]_D^{20}$ = +33° (c = 1, acetone).
IR (KBr, cm^{-1}): 2922, 1721, 1650, 1578, 1468, 1275, 1116, 1039, 818.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 6.973 min (minor), t_R = 9.213 min (major).

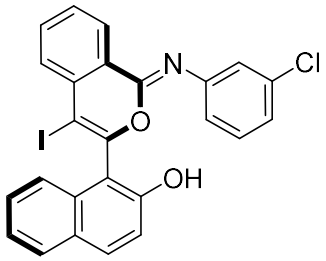
(R_a)-1-(1-((3-bromophenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3h)



Appearance: white solid.
Yield: 97%, 27.5 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.15 (s, 1H), 8.41 (d, J = 9.2 Hz, 1H), 7.92 (d, J = 8.9 Hz, 1H), 7.87 – 7.75 (m, 4H), 7.65 (t, J = 7.4 Hz, 1H), 7.55 – 7.48 (m, 1H), 7.40 – 7.32 (m, 2H), 7.27 (d, J = 8.9 Hz, 1H), 7.14 – 7.08 (m, 1H), 7.08 – 6.98 (m, 2H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.5, 151.7, 151.2, 148.9, 135.7, 134.5, 133.4, 132.9, 131.6, 131.0, 130.5, 129.3, 129.2, 128.4, 128.4, 127.2, 126.5, 124.7, 124.5, 124.4, 122.7, 122.6, 119.3, 116.8, 82.9.
HRMS (ESI): $C_{25}H_{15}BrINO_2$+H, Calc: 567.9405, Found: 567.9404.
Optical Rotation: $[\alpha]_D^{20}$ = +107° (c = 1, acetone).

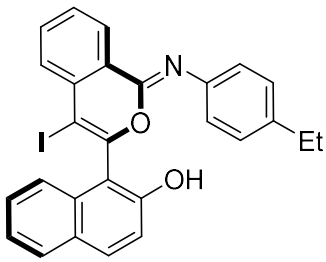
IR (KBr, cm^{-1}): 2924, 1718, 1645, 1584, 1467, 1144, 1067, 860, 818.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.833 min (minor), t_R = 6.297 min (major).

(*R*$_a$)-1-(1-((3-chlorophenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3i)



Appearance: white solid.
Yield: 80%, 20.9 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.17 (s, 1H), 8.41 (d, J = 7.3 Hz, 1H), 7.92 (d, J = 9.0 Hz, 1H), 7.88 – 7.74 (m, 4H), 7.67 – 7.61 (m, 1H), 7.51 (d, J = 8.6 Hz, 1H), 7.36 (t, J = 6.9 Hz, 1H), 7.28 (d, J = 8.9 Hz, 1H), 7.22 (t, J = 2.1 Hz, 1H), 7.15 – 7.05 (m, 2H), 6.89 (dt, J = 7.3, 2.0 Hz, 1H).
^{13}C NMR (125 MHz, Acetone-d_6): δ 154.4, 151.7, 151.2, 148.7, 135.7, 134.4, 134.4, 133.4, 132.9, 131.5, 130.7, 130.5, 129.2, 129.2, 128.4, 128.3, 124.7, 124.5, 124.4, 124.2, 123.6, 122.2, 119.3, 116.8.
HRMS (ESI): $C_{25}H_{15}ClINO_2$+H, Calc: 524.0130, Found: 523.9909
Optical Rotation: $[\alpha]_D^{20}$ = +46° (c = 1, acetone).
IR (KBr, cm^{-1}): 3061, 1645, 1488, 1278, 1189, 1091, 1041, 968, 818.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.553 min (minor), t_R = 6.370 min (major).

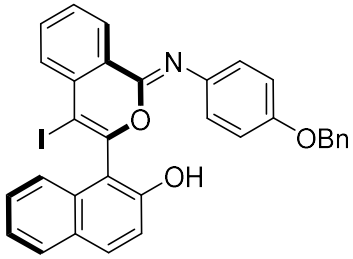
(*R*$_a$)-1-(1-((3-ethylphenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3j)



Appearance: white solid.
Yield: 83%, 21.5 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.11 (s, 1H), 8.41 (d, J = 7.9 Hz, 1H), 7.93 (d, J = 9.0 Hz, 1H), 7.87 (d, J = 8.2 Hz, 1H), 7.81 – 7.72 (m, 3H), 7.62 (t, J = 7.3 Hz, 1H), 7.50 (t, J = 7.6 Hz, 1H), 7.36 (t, J = 8.1 Hz, 1H), 7.27 (d, J = 9.0 Hz, 1H), 7.11 (d, J = 8.3 Hz, 2H), 6.94 (d, J = 8.3 Hz, 2H), 2.45 (q, J = 7.6 Hz, 2H), 1.07 (t, J = 7.6 Hz, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.4, 151.8, 149.4, 144.4, 140.5, 135.5, 133.9, 133.5, 132.8, 131.4, 130.4, 129.3, 129.2, 128.7, 128.3, 128.2, 125.4, 124.5, 124.4, 124.0, 119.4, 117.2, 82.7, 28.8, 16.0.
HRMS (ESI): $C_{27}H_{20}INO_2$+H, Calc: 518.0561, Found: 518.0612.

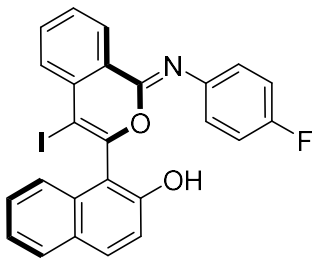
Optical Rotation: $[\alpha]_D^{20}$ = +63° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2963, 1650, 1592, 1435, 1278, 1190, 1041, 939, 816.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.773min (minor), t_R = 8.067 min (major).

(*R*$_a$)-1-(1-((4-(benzyloxy)phenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3k)



Appearance: white solid.
Yield: 82%, 24.4 mg
^{1}H NMR (400 MHz, DMSO-d_6): δ 9.82 (s, 1H), 7.89 (d, *J* = 7.7 Hz, 1H), 7.45 (d, *J* = 9.0 Hz, 1H), 7.41 – 7.33 (m, 2H), 7.21 (td, *J* = 16.1, 14.5, 7.6 Hz, 3H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.93 – 6.75 (m, 7H), 6.59 (t, *J* = 8.1 Hz, 1H), 6.37 (s, 1H), 6.23 (d, *J* = 7.7 Hz, 1H), 6.08 (d, *J* = 11.0 Hz, 1H), 4.42 – 4.08 (m, 2H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 158.4, 153.6, 150.6, 149.2, 146.8, 136.9, 134.3, 133.6, 132.0, 131.6, 130.2, 129.5, 129.2, 128.3, 128.1, 127.7, 127.6, 127.4, 127.4, 127.0, 123.3, 123.2, 123.2, 118.4, 115.7, 115.4, 110.9, 108.2, 82.3, 68.8.
HRMS (ESI): $C_{32}H_{22}INO_3$+H, Calc: 596.0637, Found: 596.0717
Optical Rotation: $[\alpha]_D^{20}$ = +50° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2924, 1646, 1583, 1489, 1454, 1261, 1039, 936, 819.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 8.277 min (minor), t_R =12.703 min (major).

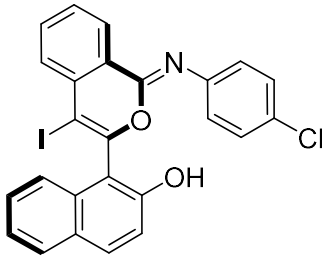
(*R*$_a$)-1-(1-((4-fluorophenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3l)



Appearance: white solid.
Yield: 83%, 21.0 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.14 (s, 1H), 8.41 (d, *J* = 7.5 Hz, 1H), 7.93 (d, *J* = 9.0 Hz, 1H), 7.81 – 7.73 (m, 3H), 7.66 – 7.60 (m, 1H), 7.52 – 7.46 (m, 1H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.27 (d, *J* = 8.9 Hz, 1H), 7.21 (dd, *J* = 9.0, 5.1 Hz, 2H), 6.86 (t, *J* = 8.9 Hz, 2H).
^{13}C NMR (125 MHz, Acetone-d_6): δ 160.0(d, *J* = 242.0 Hz), 154.4, 151.7, 150.2, 143.2, 135.5, 134.2, 133.4, 132.8, 131.5, 130.4, 129.3, 129.2, 128.3(d, *J* = 11.3 Hz), 125.5(d, *J* = 7.56 Hz), 125.1, 124.5(d, *J* = 10.1 Hz), 119.4, 117.0, 115.9, 115.7, 82.8.

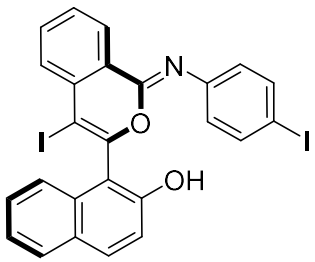
^{19}F NMR (376 MHz, Acetone-d_6): δ -120.99.
HRMS (ESI): $C_{25}H_{15}FINO_2$+H, Calc: 508.0156, Found: 508.0204.
Optical Rotation: $[\alpha]_D^{20}$ = +42° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 3122, 1646, 1502, 1434, 1276, 1191, 1073, 843, 816.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.520 min (minor), t_R = 6.117 min (major).

(*R*$_a$)-1-(1-((4-chlorophenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3m)



Appearance: white solid.
Yield: 87%, 22.8 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.15 (s, 1H), 8.41 (d, *J* = 7.3 Hz, 1H), 7.92 (d, *J* = 8.9 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.82 – 7.73 (m, 3H), 7.62 (t, *J* = 6.1 Hz, 1H), 7.49 (t, *J* = 6.9 Hz, 1H), 7.39 – 7.32 (m, 1H), 7.27 (d, *J* = 8.9 Hz, 1H), 7.19 – 7.09 (m, 4H).
^{13}C NMR (125 MHz, Acetone-d_6): δ 154.4, 151.7, 150.8, 145.9, 135.6, 134.3, 133.4, 132.9, 131.5, 130.4, 129.3, 129.2, 129.2, 129.0, 128.3, 125.4, 124.8, 124.5, 124.4, 119.3, 116.9, 82.9.
HRMS (ESI): $C_{25}H_{15}ClINO_2$+H, Calc: 524.0082, Found: 523.9909.
Optical Rotation: $[\alpha]_D^{20}$ = +122° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 3022, 1640, 1589, 1486, 1317, 1206, 1073, 819, 755.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.493 min (minor), t_R = 6.220 min (major).

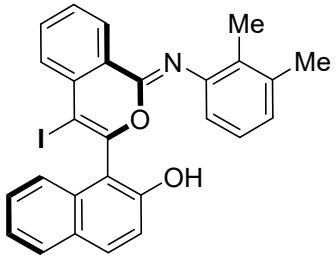
(*R*$_a$)-1-(4-iodo-1-((4-iodophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3n)



Appearance: white solid.
Yield: 83%, 25.5 mg
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.22 (s, 1H), 8.33 (d, *J* = 7.9 Hz, 1H), 7.94 – 7.78 (m, 3H), 7.70 (d, *J* = 7.8 Hz, 1H), 7.66 – 7.59 (m, 2H), 7.44 (dd, *J* = 14.4, 7.6 Hz, 3H), 7.33 (t, *J* = 7.5 Hz, 1H), 7.23 (d, *J* = 9.0 Hz, 1H), 6.89 (d, *J* = 8.6 Hz, 2H).
^{13}C NMR (125 MHz, DMSO-d_6): δ 153.7, 150.5, 149.8, 145.6, 137.2, 134.4, 133.8, 132.0, 131.6, 130.3, 129.6, 128.2, 127.4, 127.3, 127.1, 125.0, 123.3, 123.2, 123.1, 118.4, 115.3, 87.6, 82.5.
HRMS (ESI): $C_{25}H_{15}I_2NO_2$+H, Calc: 615.9543, Found: 615.9265.

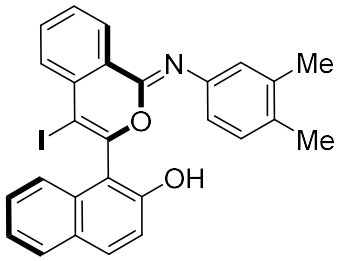
Optical Rotation: $[\alpha]_D^{20}$ = +54° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2921, 1603, 1575, 1285, 1186, 1045, 1002, 843, 813.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.817 min (minor), t_R = 6.903 min (major).

(*R*$_a$)-1-(1-((2,3-dimethylphenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3o)



Appearance: white solid.
Yield: 86%, 22.3 mg
^{1}H NMR (400 MHz, Acetone-*d*$_6$): δ 9.09 (s, 1H), 8.45 (d, *J* = 9.4 Hz, 1H), 7.90 – 7.69 (m, 5H), 7.64 (t, *J* = 7.4 Hz, 1H), 7.54 – 7.44 (m, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.9 Hz, 1H), 6.87 (d, *J* = 7.8 Hz, 1H), 6.75 (t, *J* = 7.7 Hz, 1H), 6.64 (d, *J* = 7.2 Hz, 1H), 2.12 (d, *J* = 7.5 Hz, 6H).
^{13}C NMR (125 MHz, Acetone-*d*$_6$): δ 154.3, 152.0, 149.2, 146.0, 137.6, 135.5, 134.0, 133.4, 132.7, 131.4, 130.4, 129.3, 129.1, 129.0, 128.3, 128.2, 126.1, 125.7, 125.2, 124.5, 124.4, 119.6, 119.3, 117.2, 82.4, 20.4, 14.4.
HRMS (ESI): $C_{27}H_{20}INO_2$+H, Calc: 518.0596, Found: 518.0612.
Optical Rotation: $[\alpha]_D^{20}$ = +29° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2923, 1717, 1652, 1579, 1434, 1261, 1036, 939, 756.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.713 min (minor), t_R = 9.170 min (major).

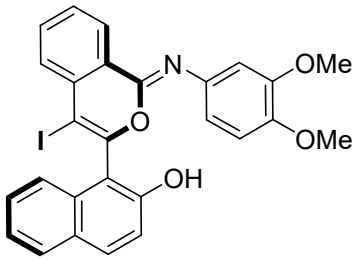
(*R*$_a$)-1-(1-((3,4-dimethylphenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3p)



Appearance: white solid.
Yield: 78%, 20.2 mg
^{1}H NMR (400 MHz, Acetone-*d*$_6$): δ 9.12 (s, 1H), 8.40 (d, *J* = 7.3 Hz, 1H), 7.89 (dd, *J* = 21.5, 8.5 Hz, 2H), 7.81 – 7.72 (m, 3H), 7.60 (ddd, *J* = 8.3, 5.9, 2.6 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 7.41 – 7.34 (m, 1H), 7.27 (d, *J* = 8.9 Hz, 1H), 6.99 (s, 1H), 6.89 (d, *J* = 10.3 Hz, 1H), 6.83 (d, *J* = 8.1 Hz, 1H), 2.04 (s, 3H), 1.98 (s, 3H).
^{13}C NMR (100 MHz, Acetone-*d*$_6$): δ 154.4, 151.9, 149.4, 144.6, 137.0, 135.5, 133.9, 133.5, 132.7, 132.5, 131.4, 130.4, 130.3, 129.3, 129.2, 128.2, 128.1, 125.3, 125., 124.6, 124.3, 121.2, 119.3, 117.1, 82.3, 19.7, 19.2.

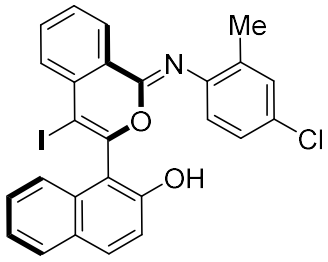
HRMS (ESI): $C_{27}H_{20}INO_2$+H, Calc: 518.0596, Found: 518.0612.
Optical Rotation: $[\alpha]_D^{20}$ = +73° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2922, 1721, 1651, 1498, 1307, 1116, 1041, 968, 816.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 6.043min (minor), t_R = 8.237min (major).

(*R*$_a$)-1-(1-((3,4-dimethoxyphenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3q)



Appearance: white solid.
Yield: 91%, 25.0 mg
^{1}H NMR (500 MHz, CD_3CN): δ 8.37 (d, *J* = 7.9 Hz, 1H), 7.92 (d, *J* = 9.0 Hz, 1H), 7.87 (d, *J* = 8.2 Hz, 1H), 7.78 – 7.69 (m, 3H), 7.60 (dd, *J* = 8.6, 3.6 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.37 (t, *J* = 7.5 Hz, 1H), 7.20 (d, *J* = 9.0 Hz, 1H), 6.82 (s, 1H), 6.74 – 6.66 (m, 2H), 3.66 (s, 3H), 3.26 (s, 3H).
^{13}C NMR (125 MHz, CD_3CN): δ 153.3, 150.6, 149.3, 148.9, 146.6, 139.5, 134.8, 133.7, 132.7, 132.6, 131.1, 130.3, 128.9, 128.3, 127.5, 124.9, 124.4, 124.1, 118.8, 116.4, 112.3, 107.9, 82.7, 56.0, 55.2.
HRMS (ESI): $C_{27}H_{20}INO_4$+H, Calc: 550.0540, Found: 550.0510.
Optical Rotation: $[\alpha]_D^{20}$ = +44° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2957, 1732, 1646, 1238, 1119, 1042, 932, 817, 755.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.170 min (minor), t_R = 8.930 min (major).

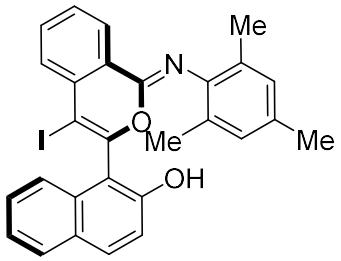
(*R*$_a$)-1-(1-((4-chloro-2-methylphenyl)imino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3r)



Appearance: white solid.
Yield: 98%, 26.4 mg
^{1}H NMR (400 MHz, CD_3CN): δ 8.42 (d, *J* = 7.8 Hz, 1H), 7.86 (dd, *J* = 16.4, 8.0 Hz, 2H), 7.77 (d, *J* = 3.5 Hz, 2H), 7.67 – 7.59 (m, 2H), 7.51 – 7.42 (m, 2H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.16 (d, *J* = 8.9 Hz, 1H), 7.08 (s, 1H), 6.94 – 6.83 (m, 2H), 2.15 (s, 3H).
^{13}C NMR (100 MHz, CD_3CN): δ 153.5, 150.8, 150.2, 144.9, 135.1, 134.3, 132.8, 132.7, 131.3, 130.5, 130.3, 128.9, 128.9, 128.2, 128.2, 128.0, 126.4, 124.6, 124.3, 124.1, 122.8, 118.8, 116.5, 83.0, 17.8.

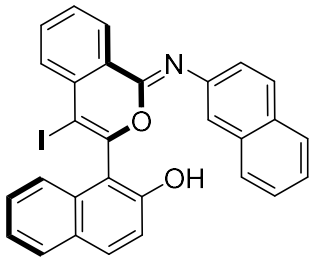
HRMS (ESI): $C_{26}H_{17}ClINO_2$+H, Calc: 538.0061, Found: 538.0065.
Optical Rotation: $[\alpha]_D^{20}$ = +105° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2958, 1717, 1651, 1482, 1308, 1276, 1040, 939, 815.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.433 min (minor), t_R = 6.193 min (major).

(*R*$_a$)-1-(4-iodo-1-(mesitylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3s)



Appearance: white solid.
Yield: 84%, 22.3 mg
^{1}H NMR (500 MHz, Acetone-d_6): δ 9.13 (s, 1H), 8.51 (d, *J* = 7.9 Hz, 1H), 7.80 (ddd, *J* = 32.2, 17.9, 8.4 Hz, 4H), 7.63 (t, *J* = 7.2 Hz, 2H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.33 (t, *J* = 7.5 Hz, 1H), 7.19 (d, *J* = 9.0 Hz, 1H), 6.62 (s, 2H), 2.07 (s, 6H), 2.03 (s, 3H).
^{13}C NMR (125 MHz, Acetone-d_6): δ 154.2, 152.0, 149.1, 143.0, 135.4, 134.1, 133.4, 132.6, 132.1, 131.4, 130.3, 129.2, 128.9, 128.4, 128.2, 128.0, 124.6, 124.3, 124.2, 119.2, 117.4, 82.4, 20.7, 18.4.
HRMS (ESI): $C_{28}H_{22}INO_2$+H, Calc: 532.0778, Found: 532.0768.
Optical Rotation: $[\alpha]_D^{20}$ = +133° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 3185, 1725, 1603, 1574, 1307, 1287, 1173, 1051, 814.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.570min (minor), t_R = 7.143min (major).

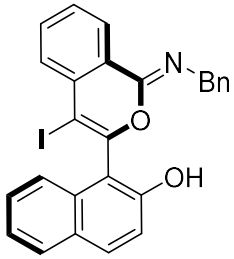
(*R*$_a$)-1-(4-iodo-1-(naphthalen-2-ylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3t)



Appearance: white solid.
Yield: 76%, 20.5 mg
^{1}H NMR (400 MHz, DMSO-d_6): δ 10.28 (s, 1H), 8.40 (d, *J* = 7.8 Hz, 1H), 7.84 (dd, *J* = 14.6, 9.4 Hz, 3H), 7.75 – 7.62 (m, 5H), 7.56 (s, 1H), 7.50 (t, *J* = 7.5 Hz, 2H), 7.37 – 7.26 (m, 4H), 7.22 (d, *J* = 8.9 Hz, 1H).
^{13}C NMR (100 MHz, DMSO-d_6): δ 153.6, 150.6, 149.7, 143.4, 134.4, 133.7, 133.5, 132.0, 131.6, 130.3, 130.0, 129.6, 128.1, 127.9, 127.4, 127.4, 127.3, 127.0, 126.9, 126.0, 124.5, 123.4, 123.3, 123.2, 118.8, 118.3, 115.3, 82.3.
HRMS (ESI): $C_{29}H_{18}INO_2$+H, Calc: 540.0432, Found: 540.0455.

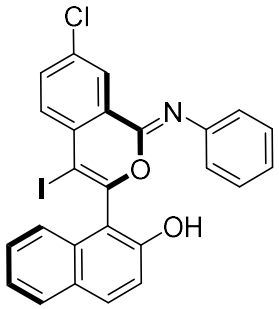
Optical Rotation: $[\alpha]_D^{20}$ = +89° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2924, 1747, 1645, 1579, 1504, 1286, 1071, 1050, 813.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 8.073 min (minor), t_R = 10.923 min (major).

(*R*$_a$)-1-(1-(benzylimino)-4-iodo-1*H*-isochromen-3-yl)naphthalen-2-ol (3u)



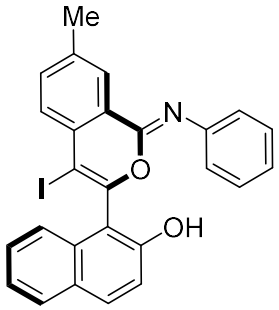
(1*H*)-isochromen-1-imines **3u** contained an alkylamine, which was unstable during the purification process. As a result, (1*H*)-isochromen-1-imines **3u** was hydrolyzed by 4M HCl to afford axially chiral isocoumarin **4.**
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.183 min (minor), t_R = 9.800 min (major).

(*R*$_a$)-1-(7-chloro-4-iodo-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3v)



Appearance: white solid.
Yield: 99%, 25.9 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.12 (s, 1H), 8.39 (s, 1H), 7.92 (d, *J* = 9.0 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.82 – 7.75 (m, 3H), 7.53 – 7.47 (m, 1H), 7.36 (t, *J* = 8.1 Hz, 1H), 7.26 (d, *J* = 8.9 Hz, 1H), 7.17 (d, *J* = 8.4 Hz, 2H), 7.14 – 7.09 (m, 2H), 6.92 – 6.87 (m, 1H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.4, 152.4, 148.8, 146.5, 135.7, 134.5, 133.9, 133.6, 133.4, 132.9, 129.4, 129.3, 129.2, 128.4, 127.3, 126.6, 124.9, 124.5, 124.5, 123.8, 119.3, 116.8, 81.2.
HRMS (ESI): $C_{25}H_{15}ClINO_2$+H, Calc: 524.0180, Found: 523.9909.
Optical Rotation: $[\alpha]_D^{20}$ = +40° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2966, 1647, 1580, 1435, 1271, 1189, 1066, 940, 816.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.723 min (minor), t_R = 6.127 min (major).

(*R*$_a$)-1-(4-iodo-7-methyl-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3w)



Appearance: white solid.

Yield: 98%, 24.7 mg.

^{1}H NMR (400 MHz, DMSO-d_6): δ 10.21 (s, 1H), 8.17 (s, 1H), 7.85 (dd, J = 17.8, 8.6 Hz, 2H), 7.67 – 7.55 (m, 3H), 7.45 (t, J = 7.0 Hz, 1H), 7.32 (t, J = 8.1 Hz, 1H), 7.22 (d, J = 9.0 Hz, 1H), 7.15 – 7.02 (m, 4H), 6.88 (t, J = 7.1 Hz, 1H), 2.50 (d, J = 3.2 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 153.7, 149.7, 149.2, 145.8, 139.4, 134.4, 132.0, 132.0, 131.5, 130.2, 128.5, 128.1, 127.4, 127.2, 126.8, 123.4, 123.2, 123.1, 123.0, 122.4, 118.4, 115.4, 82.1, 20.8.

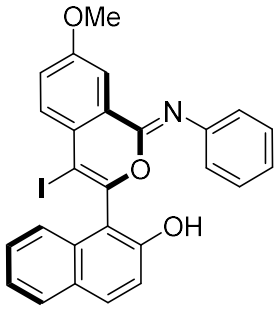
HRMS (ESI): $C_{26}H_{18}INO_2$+H, Calc: 504.0456, Found: 504.0455.

Optical Rotation: $[\alpha]_D^{20}$ = +57° (c = 1, acetone).

IR (KBr, cm^{-1}): 2922, 1638, 1500, 1393, 1309, 1274, 1140, 1074, 813.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.737 min (minor), t_R = 10.087 min (major).

(*R*$_a$)-1-(4-iodo-7-methoxy-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3x)



Appearance: white solid.

Yield: 93%, 24.2 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.05 (s, 1H), 7.95 (d, J = 2.8 Hz, 1H), 7.90 (d, J = 9.0 Hz, 1H), 7.85 (d, J = 6.8 Hz, 1H), 7.72 (dd, J = 8.7, 5.6 Hz, 2H), 7.48 (t, J = 8.3 Hz, 1H), 7.39 – 7.31 (m, 2H), 7.24 (d, J = 8.9 Hz, 1H), 7.19 – 7.04 (m, 4H), 6.91 – 6.83 (m, 1H), 3.99 (s, 3H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 161.7, 154.5, 150.1, 149.4, 147.1, 133.6, 133.3, 132.7, 129.3, 129.2, 129.0, 128.2, 126.2, 124.6, 124.4, 124.4, 123.7, 121.7, 119.4, 117.0, 110.5, 82.2, 56.4.

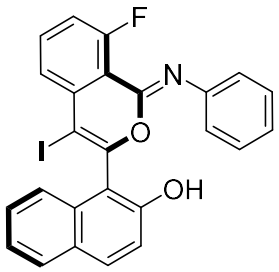
HRMS (ESI): $C_{26}H_{18}INO_2$+H, Calc: 520.0392, Found: 520.0404.

Optical Rotation: $[\alpha]_D^{20}$ = +158° (c = 1, acetone).

IR (KBr, cm^{-1}): 2924, 1637, 1603, 1589, 1490, 1340, 1203, 1034, 818.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R =8.890 min (minor), t_R = 15.580 min (major).

(*R*$_a$)-1-(8-fluoro-4-iodo-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (3y)



Appearance: white solid.

Yield: 88%, 23.4 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.08 (s, 1H), 8.45 (d, J = 7.9 Hz, 1H), 7.88 (d, J = 8.9 Hz, 1H), 7.85 – 7.76 (m, 3H), 7.72 (d, J = 8.4 Hz, 1H), 7.65 (t, J = 7.4 Hz, 1H), 7.49 (t, J = 7.6 Hz, 1H), 7.34 (t, J = 7.5 Hz, 1H), 7.19 (dd, J = 27.2, 8.4 Hz, 2H), 6.99 – 6.79 (m, 3H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 155.3(d, J = 244.4 Hz) 151.9, 151.8, 135.7, 135.4, 135.3, 134.5, 133.4, 132.8, 131.6, 130.5, 129.2, 129.1, 128.4(d, J = 39.1 Hz), 125.3(d, J = 7.56 Hz), 124.9(d, J = 3.78 Hz), 124.4(d, J = 8.82 Hz), 119.3, 116.9, 116.4(d, J = 21.4 Hz), 83.0.

^{19}F NMR (376 MHz, Acetone-d_6): δ -124.34.

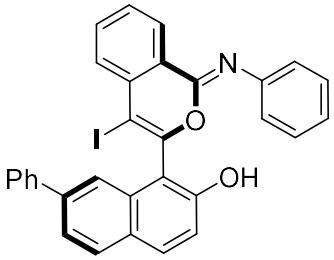
HRMS (ESI): $C_{25}H_{15}FINO_2$+H, Calc: 508.0157, Found: 508.0204.

Optical Rotation: $[\alpha]_D^{20}$ = +73° (c = 1, acetone).

IR (KBr, cm^{-1}): 2924, 1722, 1651, 1584, 1307, 1276, 1071, 1044, 818.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 7.887 min (minor), t_R = 13.813 min (major).

(*R*$_a$)-1-(4-iodo-1-(phenylimino)-1*H*-isochromen-3-yl)-7-phenylnaphthalen-2-ol (3z)



Appearance: white solid.

Yield: 99%, 28.0 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.23 (s, 1H), 8.41 (d, J = 7.9 Hz, 1H), 8.03 – 7.89 (m, 3H), 7.76 (d, J = 4.2 Hz, 2H), 7.71 – 7.54 (m, 4H), 7.41 (t, J = 7.6 Hz, 2H), 7.30 (dd, J = 18.0, 8.1 Hz, 2H), 7.16 (d, J = 8.1 Hz, 2H), 7.08 (t, J = 7.8 Hz, 2H), 6.86 (t, J = 7.3 Hz, 1H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 155.0, 151.7, 150.0, 147.1, 142.0, 140.9, 135.6, 134.1, 133.7, 132.6, 131.5, 130.4, 130.0, 129.8, 129.3, 128.5, 128.4, 128.3, 128.2, 125.3, 124.4, 124.0, 123.6, 122.3, 119.5, 117.48, 82.9.

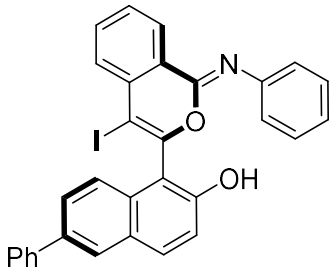
HRMS (ESI): $C_{31}H_{20}INO_2$+H, Calc: 566.0815, Found: 566.0612.

Optical Rotation: $[\alpha]_D^{20}$ = +49° (c = 1, acetone).

IR (KBr, cm^{-1}): 3039, 1646, 1615, 1580, 1435, 1189, 1042, 936, 751.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.597 min (minor), t_R = 8.590 min (major).

(R_a)-1-(4-iodo-1-(phenylimino)-1*H*-isochromen-3-yl)-6-phenylnaphthalen-2-ol (3aa)



Appearance: white solid.

Yield: 89%, 25.2 mg.

^{1}H NMR (400 MHz, DMSO-d_6): δ 10.30 (s, 1H), 8.35 (d, J = 7.9 Hz, 1H), 8.15 (s, 1H), 7.98 (d, J = 8.9 Hz, 1H), 7.91 – 7.55 (m, 8H), 7.49 (t, J = 7.7 Hz, 2H), 7.37 (t, J = 8.0 Hz, 1H), 7.26 (d, J = 8.9 Hz, 1H), 7.16 – 7.05 (m, 4H), 6.92 – 6.85 (m, 1H).

^{13}C NMR (125 MHz, DMSO-d_6): δ 150.5, 149.1, 145.7, 139.9, 134.8, 134.3, 133.6, 132.0, 131.3, 130.2, 129.6, 129.0, 127.7, 127.2, 127.0, 126.7, 126.4, 125.7, 124.0, 123.5, 123.4, 122.5, 119.0, 115.4, 82.3.

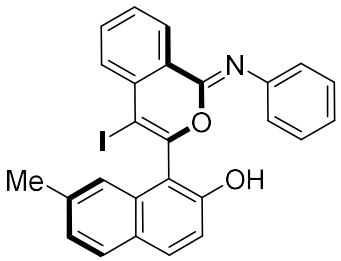
HRMS (ESI): $C_{31}H_{20}INO_2$+H, Calc: 566.0874, Found: 566.0612.

Optical Rotation: $[\alpha]_D^{20}$ = +181° (c = 1, acetone).

IR (KBr, cm^{-1}): 3060, 1644, 1589, 1489, 1288, 1128, 1040, 941, 813.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.953 min (minor), t_R = 8.870 min (major).

(R_a)-1-(4-iodo-1-(phenylimino)-1*H*-isochromen-3-yl)-7-methylnaphthalen-2-ol (3ab)



Appearance: white solid.

Yield: 70%, 17.6 mg.

^{1}H NMR (400 MHz, DMSO-d_6): δ 10.23 (s, 1H), 8.32 (d, J = 7.8 Hz, 1H), 7.91 (d, J = 9.0 Hz, 1H), 7.86 (d, J = 8.1 Hz, 1H), 7.77 (t, J = 7.6 Hz, 1H), 7.67 (d, J = 7.8 Hz, 1H), 7.60 (q, J = 3.9 Hz, 2H), 7.45 (t, J = 7.7 Hz, 1H), 7.33 (t, J = 7.5 Hz, 1H), 7.25 (d, J = 8.9 Hz, 1H), 7.11 (d, J = 8.9 Hz, 2H), 6.68 (d, J = 8.9 Hz, 2H), 3.61 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 155.7, 153.6, 150.6, 148.0, 138.2, 134.1, 133.2, 132.0, 131.5, 130.1, 129.4, 128.2, 127.4, 127.3, 126.8, 124.3, 123.7, 123.2, 118.5, 115.6, 113.7, 82.1, 55.0.

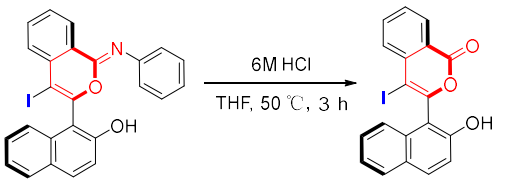
HRMS (ESI): $C_{26}H_{18}INO_2$+H, Calc: 504.0434, Found: 504.0455.

Optical Rotation: $[\alpha]_D^{20}$ = +45° (c = 0.8, acetone).

IR (KBr, cm^{-1}): 2922, 1644, 1588, 1201, 1040, 946, 830, 745, 756.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.293 min (minor), t_R = 8.300 min (major).

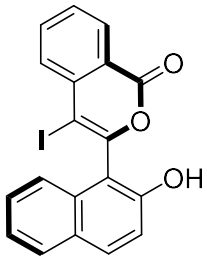
General procedure and spectral data for the synthesis of 4



To a solution of **3a** (393 mg) in THF (10 mL) was added a few drops of 6M HCl aq. at room temperature. Then the reaction mixture was stirred for 3h at 50 ℃. After the reaction performed completely, the solvent was removed under vacuum and residue was purified by flash column chromatography (petroleum ether/EA 1:8) to give the pure desired product **4** (316mg, 95% yield) as a while solid.

Spectral data for axially chiral isocoumarin 4

(*R*$_a$)-3-(2-hydroxynaphthalen-1-yl)-4-iodo-1*H*-isochromen-1-one (4)



Appearance: white solid.

Yield: 71%, 14.7 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.13 (s, 1H), 8.29 (d, J = 7.8 Hz, 1H), 7.97 (dd, J = 8.3, 4.6 Hz, 2H), 7.89 (t, J = 7.6 Hz, 2H), 7.71 (dq, J = 15.7, 7.5 Hz, 2H), 7.48 (t, J = 7.6 Hz, 1H), 7.38 (t, J = 7.4 Hz, 1H), 7.32 (d, J = 8.8 Hz, 1H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 162.6, 154.4, 153.3, 139.0, 136.7, 133.4, 132.9, 131.8, 130.5, 130.3, 129.3, 129.2, 128.4, 124.5, 124.4, 121.9, 119.3, 117.1,110.4, 83.2.

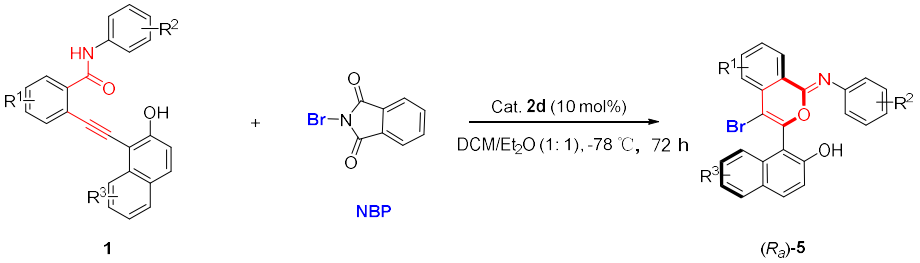
HRMS (ESI): $C_{19}H_{11}I0_3$+H, Calc: 414.9860, Found: 414.9826.

Optical Rotation: $[\alpha]_D^{20}$ = +134° (c = 1, acetone).

IR (KBr, cm^{-1}): 3287, 1763, 1562, 1278, 1229, 938, 829, 764.

HPLC analysis: Chiralcel IB-H (Hexane/*i*-PrOH = 8:2, flow rate = 1.0 mL/min, t_R = 9.743min (major), t_R = 16.463 min (minor).

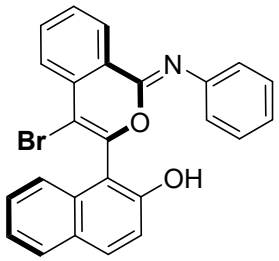
General procedure and spectral data for the synthesis of 5



To a solution of **1** (0.05 mmol) and catalyst **2d** (10 mol%) in DCM: Et_2O (1:1 vol/vol, 2 mL) was added NBP (1.1 equiv, 0.055 mmol) slowly at -78 ℃. Then, the reaction mixture was stirred for 72 h at -78 ℃. After the reaction performed completely, the solvent was removed under vacuum and residue was purified by flash column chromatography (petroleum ether/DCM 1:10) to give the pure desired products (R_a)-**5** as a while solid.

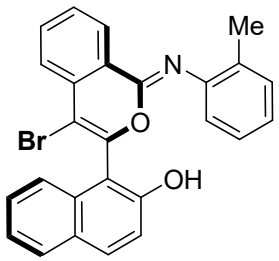
Spectral data for (1*H*)-isochromen-1-imines 5

(R_a)-1-(4-bromo-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5a)



Appearance: white solid.
Yield: 72%, 15.9 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.15 (d, J = 5.4 Hz, 1H), 8.46 (d, J = 7.8 Hz, 1H), 7.91 (d, J = 9.0 Hz, 1H), 7.82 (d, J = 7.1 Hz, 4H), 7.65 (d, J = 6.4 Hz, 1H), 7.52 – 7.46 (m, 1H), 7.35 (t, J = 10.3 Hz, 1H), 7.27 (d, J = 9.2 Hz, 1H), 7.17 (d, J = 7.7 Hz, 2H), 7.10 (d, J = 7.8 Hz, 2H), 6.88 (d, J = 6.2 Hz, 1H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.7, 149.6, 148.7, 146.9, 134.0, 133.5, 132.9, 130.4, 129.4, 129.4, 129.2, 128.4, 128.3, 126.6, 125.7, 124.6, 124.4, 123.7, 119.3, 119.3, 114.2, 114.2, 106.6.
HRMS (ESI): $C_{25}H_{16}BrNO_2$+H, Calc: 442.0437, Found: 442.0438.
Optical Rotation: $[\alpha]_D^{20}$ = +29° (c = 1, acetone).
IR (KBr, cm^{-1}): 2927, 1740, 1643, 1603, 1508, 1435, 1283, 1053, 809.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.387 min (minor), t_R = 8.877 min (major).

(R_a)-1-(4-bromo-1-(o-tolylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5b)



Appearance: white solid.

Yield: 75%, 17.1 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.17 (s, 1H), 8.50 (d, J = 7.8 Hz, 1H), 7.93 – 7.82 (m, 4H), 7.74 (d, J = 8.4 Hz, 1H), 7.70 – 7.64 (m, 1H), 7.48 (t, J = 7.6 Hz, 1H), 7.34 (t, J = 7.5 Hz, 1H), 7.23 (d, J = 8.9 Hz, 1H), 7.03 (d, J = 8.4 Hz, 2H), 6.88 (t, J = 7.6 Hz, 1H), 6.76 (t, J = 7.3 Hz, 1H), 2.21 (s, 3H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.6, 149.0, 149.0, 146.0, 134.0, 133.5, 132.8, 130.8, 130.7, 130.4, 129.3, 129.2, 128.5, 128.3, 126.8, 126.6, 125.6, 124.5, 124.4, 124.2, 121.9, 119.3, 106.4, 19.0.

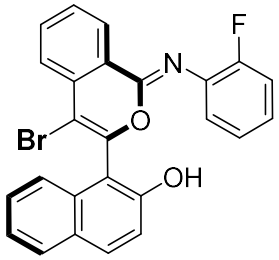
HRMS (ESI): $C_{25}H_{16}BrNO_2$+H, Calc: 456.0594, Found: 456.0594.

Optical Rotation: $[\alpha]_D^{20}$ = +47° (c = 1, acetone).

IR (KBr, cm^{-1}): 2918, 1726, 1617, 1643, 1433, 1380, 1279, 1085, 822.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.903 min (minor), t_R = 7.667 min (major).

(*R*$_a$)-1-(4-bromo-1-((2-fluorophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5c)



Appearance: white solid.

Yield: 92%, 21.2 mg.

^{1}H NMR (400 MHz, DMSO-d_6): δ 10.27 (s, 1H), 8.44 (d, J = 7.9 Hz, 1H), 7.97 – 7.76 (m, 4H), 7.70 (t, J = 7.6 Hz, 1H), 7.61 (d, J = 8.4 Hz, 1H), 7.45 (t, J = 7.6 Hz, 1H), 7.32 (t, J = 7.5 Hz, 1H), 7.19 (dd, J = 27.7, 8.4 Hz, 2H), 7.09 – 7.00 (m, 1H), 6.94 (q, J = 7.5 Hz, 2H).

^{13}C NMR (100 MHz, DMSO-d_6): δ 153.9, 153.7 (d, J = 245.4 Hz), 150.4, 147.8, 133.8, 133.6, 132.6, 132.0, 131.8, 129.7, 128.1, 127.5(d, J = 17.2 Hz), 127.4, 125.5, 124.6(d, J = 10.1 Hz), 124.2(d, J = 5.1 Hz), 123.8, 123.1(d, J = 13.1 Hz), 123.1, 118.3, 115.6(d, J = 20.2 Hz), 112.3, 105.4.

19F NMR (376 MHz, DMSO): δ -123.44.

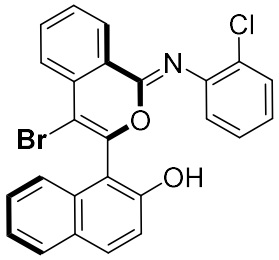
HRMS (ESI): $C_{25}H_{15}BrFNO_2$+H, Calc: 460.0340, Found: 460.0343.

Optical Rotation: $[\alpha]_D^{20}$ = +111° (c = 1, acetone).

IR (KBr, cm^{-1}): 2923, 1620, 1567, 1489, 1359, 1290, 1179, 975, 820.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.353 min (minor), t_R = 8.877 min (major).

(R_a)-1-(4-bromo-1-((2-chlorophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5d)



Appearance: white solid.

Yield: 91%, 21.7 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.20 (s, 1H), 8.46 (d, J = 7.9 Hz, 1H), 7.93 (d, J = 8.9 Hz, 1H), 7.83 (dd, J = 20.4, 7.0 Hz, 4H), 7.68 (d, J = 13.1 Hz, 1H), 7.51 (t, J = 7.7 Hz, 1H), 7.37 (dd, J = 14.2, 6.5 Hz, 2H), 7.28 (d, J = 9.0 Hz, 1H), 7.13 (d, J = 6.7 Hz, 1H), 7.06 (d, J = 6.6 Hz, 2H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.6, 151.0, 148.8, 144.9, 134.5, 134.1, 133.5, 133.0, 130.6, 130.3, 129.3, 129.1, 128.8, 128.3, 128.0, 127.2, 126.7, 125.3, 124.9, 124.6, 124.4, 123.9, 119.2, 113.9, 106.9.

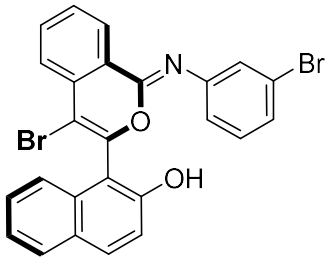
HRMS (ESI): $C_{25}H_{15}BrClNO_2$+H, Calc: 476.0042, Found: 476.0047.

Optical Rotation: $[\alpha]_D^{20}$ = +49° (c = 1, acetone).

IR (KBr, cm^{-1}): 2989, 1653, 1616, 1585, 1434, 1197, 1086, 1050, 824.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.093 min (minor), t_R = 7.907 min (major).

(R_a)-1-(4-bromo-1-((3-bromophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5e)



Appearance: white solid.

Yield: 87%, 22.7 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.22 (s, 1H), 8.46 (d, J = 8.1 Hz, 1H), 7.93 (d, J = 8.9 Hz, 1H), 7.88 – 7.78 (m, 4H), 7.67 (ddd, J = 8.4, 6.3, 2.3 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.41 (d, J = 2.9 Hz, 1H), 7.36 (t, J = 7.5 Hz, 1H), 7.29 (d, J = 8.9 Hz, 1H), 7.16 – 7.11 (m, 1H), 7.10 – 7.02 (m, 2H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.8, 150.8, 148.8, 148.7, 134.3, 134.1, 133.4, 133.1, 131.1, 130.5, 129.3, 129.2, 128.5, 128.4, 127.3, 126.7, 126.5, 125.2, 124.5, 124.4, 122.7, 122.6, 119.3, 114.0, 106.8.

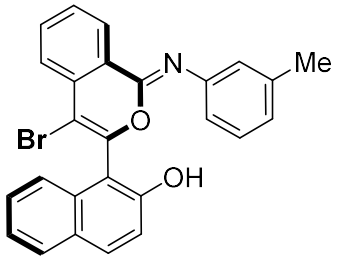
HRMS (ESI): $C_{25}H_{15}Br_2NO_2$+H, Calc: 521.9487, Found: 521.9699.

Optical Rotation: $[\alpha]_D^{20}$ = +142° (c = 1, acetone).

IR (KBr, cm^{-1}): 2989, 1646, 1608, 1584, 1435, 1285, 1195, 977, 824.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.830 min (minor), t_R =6.873 min (major).

(R_a)-1-(4-bromo-1-(m-tolylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5f)



Appearance: white solid.

Yield: 80%, 18.2 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.10 (s, 1H), 8.45 (d, J = 7.8 Hz, 1H), 7.92 (d, J = 8.9 Hz, 1H), 7.88 – 7.75 (m, 4H), 7.65 (t, J = 8.3 Hz, 1H), 7.52 (t, J = 7.6 Hz, 1H), 7.36 (t, J = 7.5 Hz, 1H), 7.27 (d, J = 8.9 Hz, 1H), 7.05 – 6.91 (m, 3H), 6.69 (d, J = 7.2 Hz, 1H), 2.08 (s, 3H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 154.7, 149.4, 148.8, 146.9, 138.8, 134.0, 133.9, 133.6, 132.9, 130.4, 129.4, 129.2, 128.4, 128.3, 126.6, 125.8, 125.3, 124.7, 124.4, 120.7, 119.3, 114.3, 106.5, 21.4.

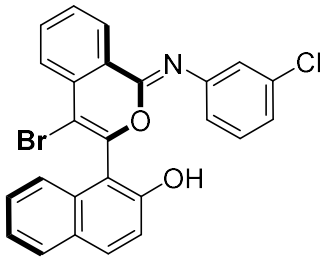
HRMS (ESI): $C_{25}H_{18}BrNO_2$+H, Calc: 456.0590, Found: 456.0594.

Optical Rotation: $[\alpha]_D^{20}$ = +107° (c = 1, acetone).

IR (KBr, cm^{-1}): 2972, 1645, 1609, 1579, 1436, 1328, 1286, 1075, 794.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.310 min (minor), t_R = 7.523 min (major).

(R_a)-1-(4-bromo-1-((3-chlorophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5g)



Appearance: white solid.

Yield: 64%, 15.2 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.17 (s, 1H), 8.46 (d, J = 7.7 Hz, 1H), 7.93 (d, J = 9.0 Hz, 1H), 7.90 – 7.78 (m, 4H), 7.67 (t, J = 8.3 Hz, 1H), 7.53 – 7.48 (m, 1H), 7.36 (t, J = 8.1 Hz, 1H), 7.31 – 7.21 (m, 2H), 7.12 (d, J = 7.7 Hz, 2H), 6.91 (dt, J = 7.1, 2.0 Hz, 1H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.8, 148.7, 134.5, 134.3, 134.1, 133.5, 133.1, 130.8, 130.5, 129.3, 129.2, 128.5, 128.4, 126.7, 125.3, 124.6, 124.5, 124.4, 123.6, 122.3, 119.3, 114.0, 106.9.

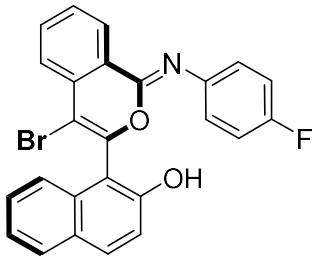
HRMS (ESI): $C_{25}H_{15}BrClNO_2$+H, Calc: 476.0016, Found: 476.0047.

Optical Rotation: $[\alpha]_D^{20}$ = +57° (c = 1, acetone).

IR (KBr, cm^{-1}): 2988, 1645, 1609, 1576, 1436, 1285, 1196, 1073, 824.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.627 min (minor), t_R = 6.440 min (major).

(R_a)-1-(4-bromo-1-((4-fluorophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5h)



Appearance: white solid.

Yield: 84%, 19.3 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.17 (s, 1H), 8.46 (d, J = 7.9 Hz, 1H), 7.93 (d, J = 9.0 Hz, 1H), 7.89 – 7.77 (m, 4H), 7.64 (t, J = 7.5 Hz, 1H), 7.49 (t, J = 7.6 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H), 7.29 (d, J = 8.9 Hz, 1H), 7.27 – 7.19 (m, 2H), 6.88 (t, J = 8.8 Hz, 2H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 161.4(d, J = 242.4 Hz), 154.8, 149.8, 148.6, 143.1, 133.9, 133.9, 133.4, 133.0, 130.4, 129.3, 129.2, 128.4, 128.4, 126.7, 125.6(d, J = 8.1 Hz), 124.5(d, J = 8.1 Hz), 119.3, 115.8(d, J = 23.2 Hz), 114.2, 106.7.

19F NMR (376 MHz, Acetone-d_6): δ -120.82.

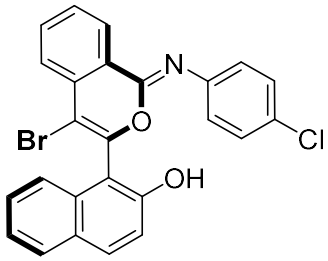
HRMS (ESI): $C_{25}H_{15}BrFNO_2$+H, Calc: 460.0311, Found: 460.0343.

Optical Rotation: $[\alpha]_D^{20}$ = +62° (c = 1, acetone).

IR (KBr, cm^{-1}): 2972, 1645, 1588, 1504, 1436, 1283, 1196, 1076, 811.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.537 min (minor), t_R = 6.773min (major).

(R_a)-1-(4-bromo-1-((4-chlorophenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5i)



Appearance: white solid.

Yield: 89%, 21.2 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.14 (s, 1H), 8.46 (d, J = 7.8 Hz, 1H), 7.93 (d, J = 8.9 Hz, 1H), 7.89 – 7.77 (m, 4H), 7.69 – 7.63 (m, 1H), 7.49 (t, J = 7.6 Hz, 1H), 7.36 (t, J = 7.5 Hz, 1H), 7.28 (d, J = 8.9 Hz, 1H), 7.23 – 7.08 (m, 4H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.8, 154.7, 150.4, 148.7, 145.9, 134.2, 134.0, 133.4, 133.1, 130.5, 129.4, 129.2, 129.2, 128.5, 128.4, 126.7, 125.4, 124.6, 124.5, 119.3, 119.2, 114.1, 106.8.

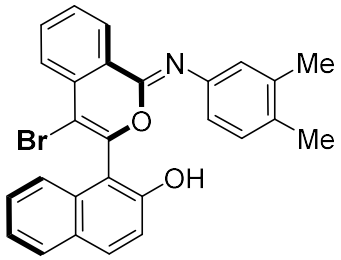
HRMS (ESI): $C_{25}H_{15}BrClNO_2$+H, Calc: 475.9892, Found: 476.0047.

Optical Rotation: $[\alpha]_D^{20}$ = +74° (c = 1, acetone).

IR (KBr, cm^{-1}): 2989, 1648, 1613, 1486, 1280, 1203, 1073, 949, 822.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, wave length = 254 nm), t_R = 4.507 min (minor), t_R = 6.787 min (major).

(R_a)-1-(4-bromo-1-((3,4-dimethylphenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5j)



Appearance: white solid.

Yield: 88%, 20.7 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.11 (s, 1H), 8.50 (d, J = 8.9 Hz, 1H), 7.88 (d, J = 8.9 Hz, 1H), 7.83 (t, J = 5.0 Hz, 3H), 7.74 (d, J = 7.7 Hz, 1H), 7.70 – 7.61 (m, 1H), 7.53 – 7.44 (m, 1H), 7.39 – 7.29 (m, 1H), 7.23 (d, J = 8.9 Hz, 1H), 6.89 (d, J = 7.7 Hz, 1H), 6.76 (t, J = 7.7 Hz, 1H), 6.66 (d, J = 7.6 Hz, 1H), 2.13 (d, J = 6.4 Hz, 6H).

^{13}C NMR (125 MHz, Acetone-d_6): δ 154.6, 145.9, 137.6, 133.9, 133.9, 133.5, 132.8, 130.4, 129.3, 129.2, 129.0, 128.4, 128.2, 126.6, 126.1, 125.7, 125.7, 124.5, 124.4, 119.6, 119.3, 114.4, 106.4, 20.4, 14.4.

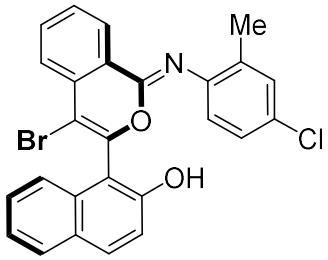
HRMS (ESI): $C_{27}H_{20}BrNO_2$+H, Calc: 470.0734, Found: 470.0750.

Optical Rotation: $[\alpha]_D^{20}$ = +121° (c = 1, acetone).

IR (KBr, cm^{-1}): 2971, 1652, 1626, 1584, 1435, 1278, 1051, 818, 746.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 6.000min (minor), t_R = 8.813 min (major).

(R_a)-1-(4-bromo-1-((4-chloro-2-methylphenyl)imino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5k)



Appearance: white solid.

Yield: 82%, 20.1 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.12 (s, 1H), 8.49 (d, J = 7.9 Hz, 1H), 7.92 – 7.78 (m, 4H), 7.74 (d, J = 8.4 Hz, 1H), 7.68 – 7.62 (m, 1H), 7.47 (t, J = 7.6 Hz, 1H), 7.33 (t, J = 7.5 Hz, 1H), 7.24 (d, J = 8.9 Hz, 1H), 7.05 (d, J = 6.4 Hz, 2H), 6.89 (d, J = 5.7 Hz, 1H), 2.21 (s, 3H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.8, 150.0, 149.0, 144.9, 134.2, 134.0, 134.0, 133.4, 133.3, 132.9, 130.5, 129.2, 128.6, 128.5, 128.2, 126.6, 125.2, 124.4, 124.3, 123.5, 119.2, 114.1, 106.5, 18.2.

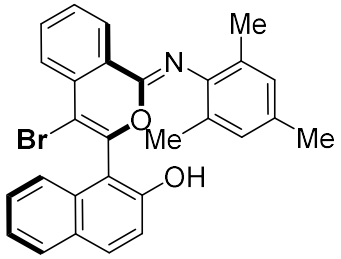
HRMS (ESI): $C_{26}H_{17}BrClNO_2$+H, Calc: 490.0192, Found: 490.0204.

Optical Rotation: $[\alpha]_D^{20}$ = +56° (c = 1, acetone).

IR (KBr, cm^{-1}): 2973, 1654, 1513, 1433, 1277, 1195, 1071, 975, 819.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 7.517min (minor), t_R = 13.047 min (major).

(R_a)-1-(4-bromo-1-(mesitylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5l)



Appearance: white solid.

Yield: 90%, 21.8 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.07 (s, 1H), 8.56 (d, J = 7.9 Hz, 1H), 7.93 – 7.78 (m, 4H), 7.73 – 7.62 (m, 2H), 7.46 (t, J = 8.4 Hz, 1H), 7.33 (t, J = 8.1 Hz, 1H), 7.20 (d, J = 9.0 Hz, 1H), 6.63 (s, 2H), 2.08 (s, 6H), 2.03 (s, 3H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.6, 149.3, 148.9, 143.0, 134.0, 133.8, 133.4, 132.7, 132.2, 130.3, 129.2, 129.2, 129.0, 128.6, 128.2, 128.0, 126.5, 125.0, 124.3, 124.2, 119.2, 114.4, 106.2, 20.7, 18.4.

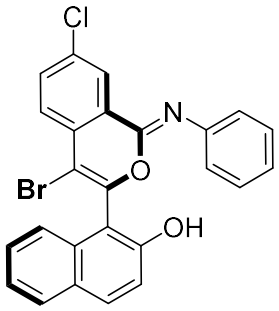
HRMS (ESI): $C_{28}H_{22}BrNO_2$+H, Calc: 484.0898, Found: 484.0797.

Optical Rotation: $[\alpha]_D^{20}$ = +32° (c = 1, acetone).

IR (KBr, cm^{-1}): 2969, 1656, 1621, 1433, 1276, 1196, 1069, 977, 760.

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.520 min (minor), t_R =7.440 min (major).

(R_a)-1-(4-bromo-7-chloro-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5m)



Appearance: white solid.

Yield: 99%, 23.4 mg.

^{1}H NMR (400 MHz, Acetone-d_6): δ 9.32 (s, 1H), 8.42 (d, J = 2.2 Hz, 1H), 7.91 (d, J = 8.9 Hz, 1H), 7.87 – 7.77 (m, 4H), 7.51 – 7.45 (m, 1H), 7.37 – 7.31 (m, 2H), 7.21 (d, J = 9.8 Hz, 2H), 7.13 (t, J = 7.9 Hz, 2H), 6.96 – 6.88 (m, 1H).

^{13}C NMR (100 MHz, Acetone-d_6): δ 154.9, 149.4, 148.4, 146.4, 135.6, 133.8, 133.4, 133.0, 132.8, 129.4, 129.2, 129.2, 128.7, 128.3, 127.6, 127.2, 125.0, 124.5, 124.4, 123.9, 119.4, 113.9.

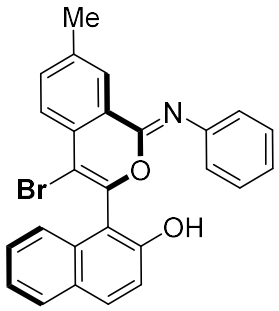
HRMS (ESI): $C_{25}H_{15}BrClNO_2$+H, Calc: 476.0028, Found: 476.0047.

Optical Rotation: $[\alpha]_D^{20}$ = +104° (c = 1, acetone).

IR (KBr, cm^{-1}): 2989, 1651, 1583, 1471, 1278, 1192, 1066, 975, 817.

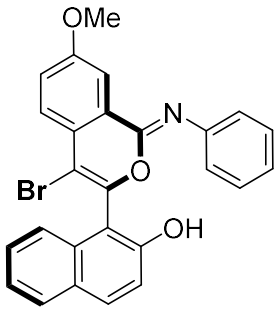
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 4.633 min (minor), t_R = 6.537 min (major).

(R_a)-1-(4-bromo-7-methyl-1-(phenylimino)-1*H*-isochromen-3-yl)naphthalen-2-ol (5n)



Appearance: white solid.
Yield: 98%, 22.3 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.11 (s, 1H), 8.30 (s, 1H), 7.91 (d, J = 9.0 Hz, 1H), 7.84 (d, J = 8.2 Hz, 1H), 7.74 (dd, J = 18.0, 8.4 Hz, 2H), 7.62 (d, J = 8.6 Hz, 1H), 7.49 (t, J = 8.0 Hz, 1H), 7.35 (s, 1H), 7.27 (d, J = 9.0 Hz, 1H), 7.23 – 7.05 (m, 4H), 6.88 (s, 1H), 2.59 (s, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.7, 149.7, 147.8, 147.0, 140.8, 134.9, 133.6, 132.8, 131.5, 129.3, 129.3, 129.2, 128.4, 128.2, 126.6, 125.4, 124.5, 124.5, 124.4, 123.7, 119.3, 114.2, 106.6, 21.4.
HRMS (ESI): $C_{25}H_{16}BrNO_2$+H, Calc: 456.0594, Found: 456.0594.
Optical Rotation: $[\alpha]_D^{20}$ = +122° (c = 1, acetone).
IR (KBr, cm^{-1}): 3071, 1724, 1652, 1584, 1514, 1208, 1046, 974, 818.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.590 min (minor), t_R =9.920 min (major).

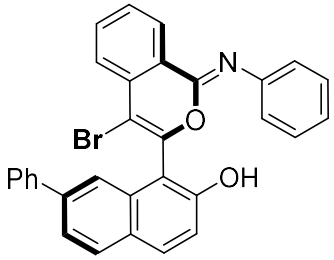
(R_a)-1-(4-bromo-7-methoxy-1-(phenylimino)-1H-isochromen-3-yl)naphthalen-2-ol (5o)



Appearance: white solid.
Yield: 74%, 17.5 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.11 (s, 1H), 8.30 (s, 1H), 7.91 (d, J = 9.0 Hz, 1H), 7.84 (d, J = 8.2 Hz, 1H), 7.74 (dd, J = 18.0, 8.4 Hz, 2H), 7.62 (d, J = 8.6 Hz, 1H), 7.49 (t, J = 8.0 Hz, 1H), 7.35 (s, 1H), 7.27 (d, J = 9.0 Hz, 1H), 7.23 – 7.05 (m, 4H), 6.88 (s, 1H), 2.59 (s, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 169.4, 161.6, 154.8, 149.7, 147.0, 146.3, 135.1, 134.1, 133.7, 132.8, 129.3, 129.3, 129.2, 128.5, 128.2, 127.3, 127.0, 124.6, 124.5, 124.4, 119.3, 114.2, 110.8, 106.4, 56.3.
HRMS (ESI): $C_{26}H_{18}BrNO_2$+H, Calc: 472.0505, Found: 472.0543.

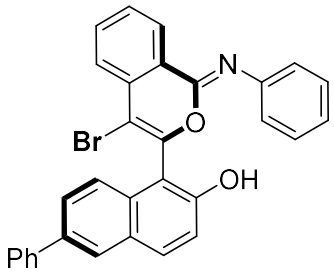
Optical Rotation: $[\alpha]_D^{20}$ = +87° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 3071, 1724, 1652, 1514, 1279, 1208, 1046, 974, 818.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 8.033 min (minor), t_R =14.350 min (major).

(*R*$_a$)-1-(4-bromo-1-(phenylimino)-1*H*-isochromen-3-yl)-7-phenylnaphthalen-2-ol (5p)



Appearance: white solid.
Yield: 91%, 23.6 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.23 (s, 1H), 8.47 (d, *J* = 8.1 Hz, 1H), 8.01 (s, 1H), 7.95 (dd, *J* = 8.7, 2.6 Hz, 2H), 7.85 – 7.77 (m, 2H), 7.66 (t, *J* = 6.8 Hz, 4H), 7.44 – 7.38 (m, 2H), 7.35 – 7.27 (m, 2H), 7.18 (d, *J* = 8.3 Hz, 2H), 7.09 (t, *J* = 7.8 Hz, 2H), 6.94 – 6.81 (m, 1H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 155.3, 149.7, 148.7, 146.9, 142.0, 141.0, 134.0, 134.0, 133.7, 132.8, 130.4, 130.0, 129.8, 129.6, 129.4, 128.5, 128.4, 128.3, 128.3, 126.7, 124.6, 124.0, 123.7, 122.4, 119.4, 114.5, 106.8.
HRMS (ESI): $C_{31}H_{20}BrNO_2$+H, Calc: 518.0721, Found: 518.0750.
Optical Rotation: $[\alpha]_D^{20}$ = +30° (*c* = 1, acetone).
IR (KBr, cm^{-1})： 2989, 1648, 1589, 1497, 1333, 1249, 1195, 1075, 955.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.473 min (minor), t_R = 7.747 min (major)

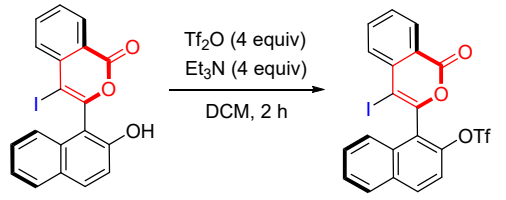
(*R*$_a$)-1-(4-bromo-1-(phenylimino)-1*H*-isochromen-3-yl)-6-phenylnaphthalen-2-ol (5q)



Appearance: white solid.
Yield: 86%, 22.3 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 9.26 (s, 1H), 8.48 (d, *J* = 7.3 Hz, 1H), 8.14 (s, 1H), 8.01 (d, *J* = 8.9 Hz, 1H), 7.91 – 7.81 (m, 4H), 7.77 (dd, *J* = 7.1, 1.5 Hz, 2H), 7.67 (t, *J* = 8.3 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.30 (d, *J* = 8.9 Hz, 1H), 7.19 (d, *J* = 8.3 Hz, 2H), 7.12 (t, *J* = 7.9 Hz, 2H), 6.93 – 6.84 (m, 1H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.9, 149.5, 148.7, 147.0, 142.0, 137.0, 134.0, 133.3, 132.7, 130.4, 129.9, 129.6, 129.4, 128.4, 128.2, 127.9, 127.6, 126.9, 126.7, 125.8, 125.3, 124.6, 123.7, 119.8, 115.4, 114.2, 106.6.

HRMS (ESI): $C_{31}H_{20}BrNO_2$+H, Calc: 518.0741, Found: 518.0750.
Optical Rotation: $[\alpha]_D^{20}$ = +37° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 2923, 1652, 1587, 1489, 1445, 1198, 1039, 948, 832.
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min, t_R = 5.773 min (minor), t_R = 8.017 min (major).

General procedure and spectral data for the synthesis of 6



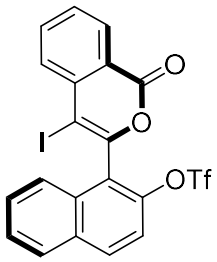
316 mg
4, 95% yield, 95% ee

408 mg
6, 98% yield, 88% ee

To a solution of **4** (316 mg) and Et_3N (4 equiv) in DCM (10 mL) was added Tf_2O (4 equiv) slowly at room temperature. Then the reaction mixture was stirred for 2 h at room temperature. After the reaction performed completely, the solvent was removed under vacuum and residue was purified by flash column chromatography (petroleum ether/EA 1:15) to give the pure desired product **6** (408 mg, 98% yield) as a while solid.

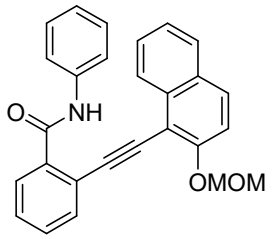
Spectral data for axially chiral isocoumarin **6**

(*R$_a$*)-1-(4-iodo-1-oxo-1H-isochromen-3-yl)naphthalen-2-yl trifluoromethanesulfonate (6)



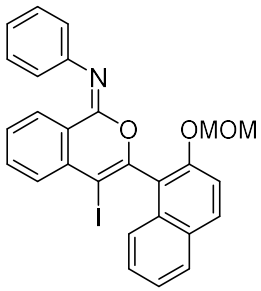
Appearance: white solid.
Yield: 98%, 408 mg.
^{1}H NMR (400 MHz, Acetone-d_6): δ 8.39 (d, *J* = 9.2 Hz, 1H), 8.34 (d, *J* = 7.8 Hz, 1H), 8.20 – 8.14 (m, 1H), 8.12 – 8.01 (m, 2H), 7.95 (d, *J* = 7.9 Hz, 1H), 7.81 (t, *J* = 7.6 Hz, 1H), 7.79 – 7.66 (m, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 161.4, 149.4, 145.8, 138.2, 137.1, 134.5, 133.6, 132.4, 132.1, 131.4, 130.6, 130.0, 129.7, 128.9, 127.0, 126.7, 121.8, 120.2, 117.8, 83.6.
HRMS (ESI): $C_{20}H_{10}F_3IO_5S$+H, Calc: 546.9351, Found: 546.9319.
Optical Rotation: $[\alpha]_D^{20}$ = +51° (*c* = 1, acetone).
IR (KBr, cm^{-1}): 3104, 1784, 1677, 1564, 1235, 1002, 987, 853, 801.
HPLC analysis: Chiralcel IG-H (Hexane/*i*-PrOH = 8:2, flow rate = 1.0 mL/min, t_R = 8.793 min (minor), t_R = 11.707 min (major).

2-(2-(methoxymethoxy)naphthalen-1-yl)ethynyl)-N-phenylbenzamide (7)



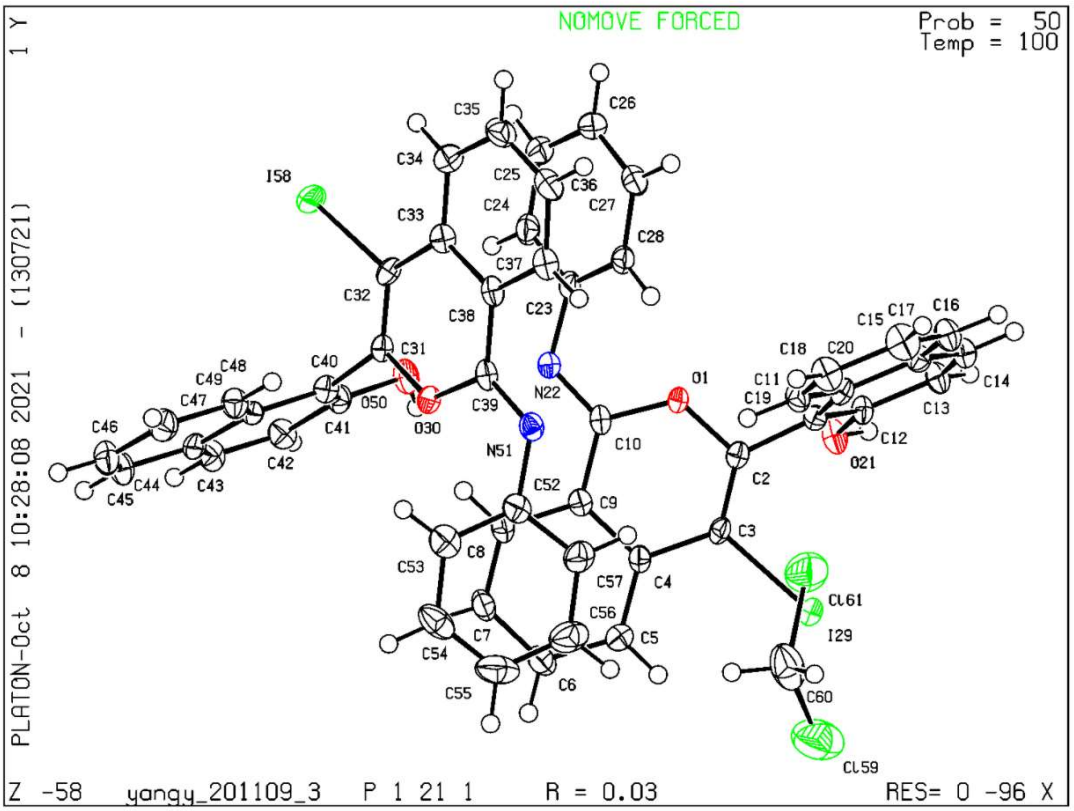
^{1}H NMR (400 MHz, $CDCl_3$): δ 9.51 (s, 1H), 8.25 (dd, *J* = 15.0, 7.8 Hz, 2H), 7.89 – 7.77 (m, 3H), 7.62 (d, *J* = 8.2 Hz, 2H), 7.53 (p, *J* = 7.5 Hz, 2H), 7.43 (p, *J* = 8.3, 7.6 Hz, 3H), 7.28 (q, *J* = 7.2 Hz, 2H), 7.11 (t, *J* = 7.5 Hz, 1H), 4.99 (s, 2H), 3.33 (s, 3H), 0.80 (d, *J* = 643.7 Hz, 26H).
^{13}C NMR (100 MHz, $CDCl_3$): δ 164.5, 157.4, 138.0, 135.1, 134.2, 133.8, 131.2, 131.0, 130.6, 129.1, 129.0, 129.0, 128.3, 127.7, 125.1, 124.9, 124.7, 121.3, 120.2, 115.7, 106.5, 96.4, 94.9, 91.7, 56.2.
IR (KBr, cm^{-1}): 3324, 3221, 1654, 1508, 1328, 1200, 831, 743, 621.
HRMS (ESI): $C_{27}H_{21}NO_3$+H, Calc: 408.1611, Found: 408.1594.

4-iodo-3-(2-(methoxymethoxy)naphthalen-1-yl)-N-phenyl-1H-isochromen-1-imine (8)



Appearance: yellow solid.
Yield: 98%, 26.1 mg
^{1}H NMR (400 MHz, Acetone-d_6): δ 8.42 (d, *J* = 7.2 Hz, 1H), 8.01 (d, *J* = 9.0 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.82 (d, *J* = 7.7 Hz, 1H), 7.78 – 7.69 (m, 2H), 7.61 (t, *J* = 8.3 Hz, 1H), 7.53 (dd, *J* = 14.2, 8.8 Hz, 2H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.17 – 7.00 (m, 4H), 6.94 – 6.79 (m, 1H), 5.42 – 5.16 (m, 2H), 3.37 (s, 3H).
^{13}C NMR (100 MHz, Acetone-d_6): δ 154.3, 151.9, 149.7, 147.1, 135.4, 134.3, 133.0, 132.8, 131.4, 130.5, 130.2, 129.4, 129.2, 128.5, 125.4, 124.9, 124.5, 123.6, 121.0, 116.9, 95.7, 81.7, 56.6.
IR (KBr, cm^{-1}): 3114, 1712, 1498, 1488, 1398, 1198, 987, 888, 798.
HRMS (ESI): $C_{27}H_{20}INO_2$+H, Calc: 534.0581, Found: 534.0561.

X-ray Structure of 3a



Bond precision: C-C = 0.0070 A Wavelength=1.54184

Cell: a=10.4688(1) b=15.0424(1) c=14.4588(1)
alpha=90 beta=104.344(1) gamma=90

Temperature: 100 K

	Calculated	Reported
Volume	2205.93(3)	2205.93(3)
Space group	P 21	P 1 21 1
Hall group	P 2yb	P 2yb
Moiety formula	2(C25 H16 I N O2), C H2 Cl2	2(C25 H16 I N O2), C H2 Cl2
Sum formula	C51 H34 Cl2 I2 N2 O4	C51 H34 Cl2 I2 N2 O4
Mr	1063.50	1063.50
Dx,g cm-3	1.601	1.601
Z	2	2
Mu (mm-1)	12.698	12.698
F000	1052.0	1052.0
F000'	1054.88	
h,k,lmax	13,18,18	13,18,18
Nref	9302[4835]	8565

Tmin,Tmax	0.427,0.530	0.620,1.000
Tmin'	0.295	

Correction method= # Reported T Limits: Tmin=0.620 Tmax=1.000 AbsCorr = MULTI-SCAN

Data completeness= 1.77/0.92 Theta(max)= 76.753

R(reflections)= 0.0260(8348) wR2(reflections)= 0.0698(8565)

S = 1.095 Npar= 551

The following ALERTS were generated. Each ALERT has the format

test-name_ALERT_alert-type_alert-level.

Click on the hyperlinks for more details of the test.

Alert level B

PLAT420_ALERT_2_B D-H Bond Without Acceptor O50 --H50 . Please Check

---

Alert level C

PLAT918_ALERT_3_C Reflection(s) with I(obs) much Smaller I(calc) . 1 Check

PLAT934_ALERT_3_C Number of (Iobs-Icalc)/Sigma(W) > 10 Outliers .. 1 Check

---

Alert level G

PLAT007_ALERT_5_G Number of Unrefined Donor-H Atoms ..... 2 Report

PLAT142_ALERT_4_G s.u. on b - Axis Small or Missing ..... 0.00010 Ang.

PLAT143_ALERT_4_G s.u. on c - Axis Small or Missing ..... 0.00010 Ang.

PLAT912_ALERT_4_G Missing # of FCF Reflections Above STh/L= 0.600 123 Note

PLAT978_ALERT_2_G Number C-C Bonds with Positive Residual Density. 3 Info

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0 **ALERT level A** = Most likely a serious problem - resolve or explain

1 **ALERT level B** = A potentially serious problem, consider carefully

2 **ALERT level C** = Check. Ensure it is not caused by an omission or oversight

5 **ALERT level G** = General information/check it is not something unexpected

0 ALERT type 1 CIF construction/syntax error, inconsistent or missing data

2 ALERT type 2 Indicator that the structure model may be wrong or deficient

2 ALERT type 3 Indicator that the structure quality may be low

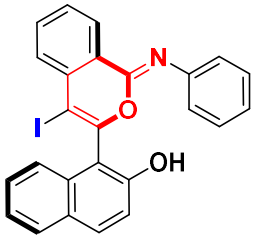
3 ALERT type 4 Improvement, methodology, query or suggestion

1 ALERT type 5 Informative message, check

References

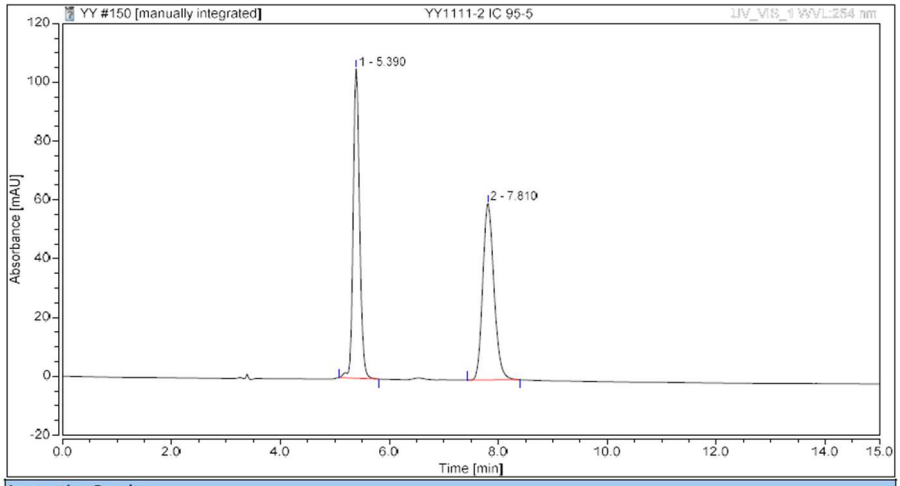
1. Xu, T.; Chen, K.; Zhu, H. Y.; Hao, W. J.; Tu, S. J.; Jiang, B. Yb(OTf)$_3$-Catalyzed Alkyne-Carbonyl Metathesis-Oxa-Michael Addition Relay for Diastereoselective Synthesis of Functionalized Naphtho[2,1-b]furans. *Org. Lett.* **2020,** *22*, 2414-2418.

Copies of HPLC spectrum



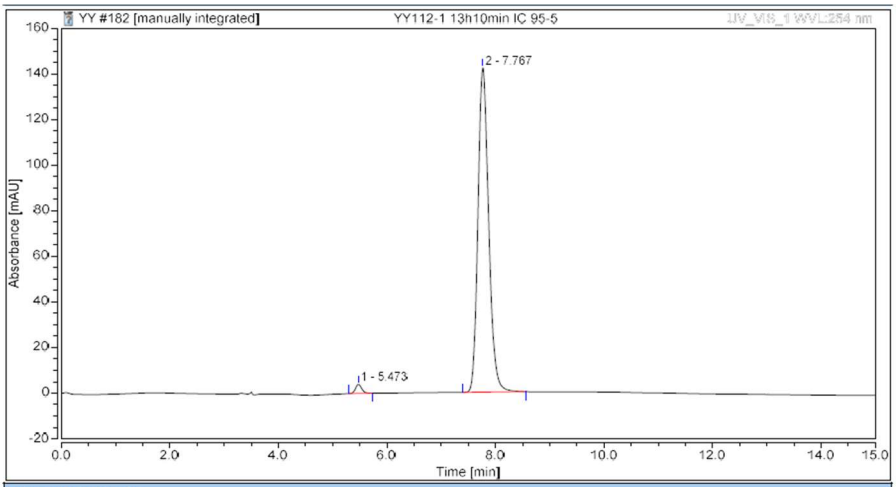
3a

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



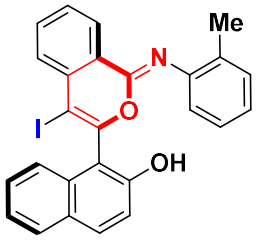
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.390	14.326	105.221	49.99	63.68	n.a.
2		7.810	14.334	60.021	50.01	36.32	n.a.
Total:			**28.659**	**165.243**	**100.00**	**100.00**	



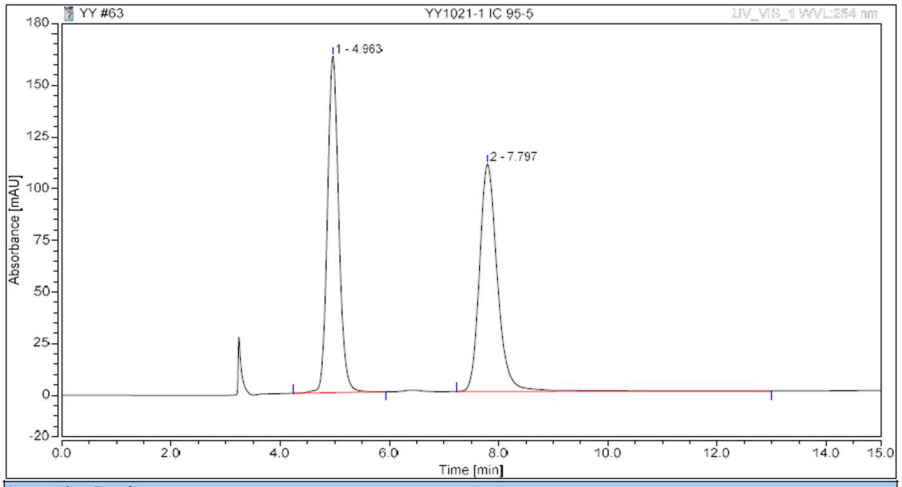
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.473	0.522	3.995	1.58	2.73	n.a.
2		7.767	32.501	142.259	98.42	97.27	n.a.
Total:			**33.023**	**146.253**	**100.00**	**100.00**	



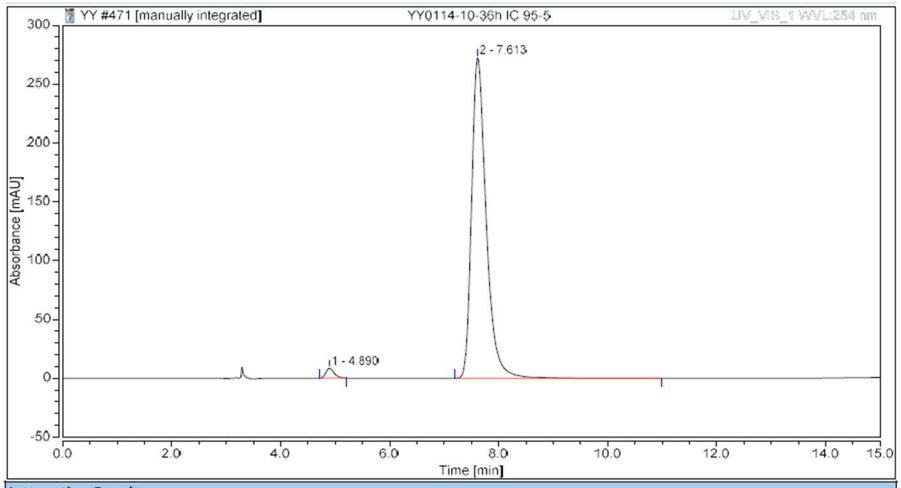
3b

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



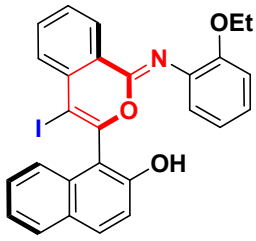
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.963	39.151	162.985	48.26	59.66	n.a.
2		7.797	41.980	110.215	51.74	40.34	n.a.
Total:			**81.131**	**273.200**	**100.00**	**100.00**	



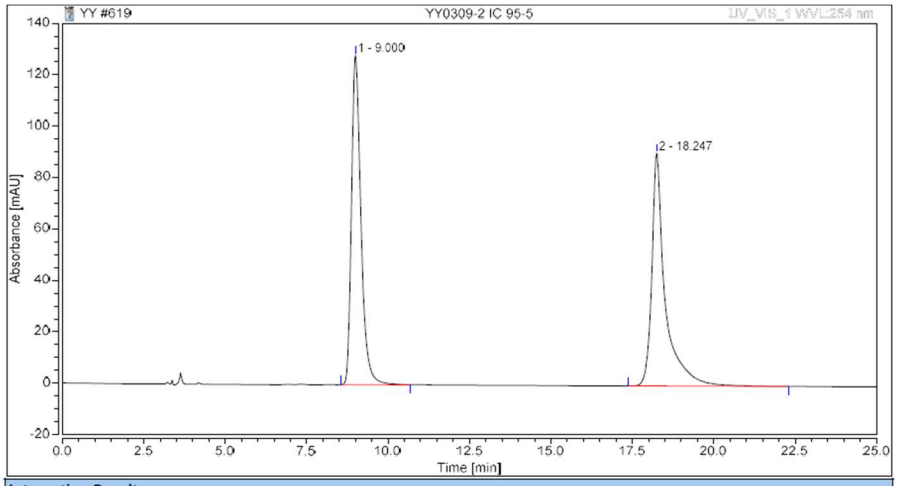
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.890	1.474	8.445	1.71	3.01	n.a.
2		7.613	84.733	272.408	98.29	96.99	n.a.
Total:			**86.207**	**280.853**	**100.00**	**100.00**	

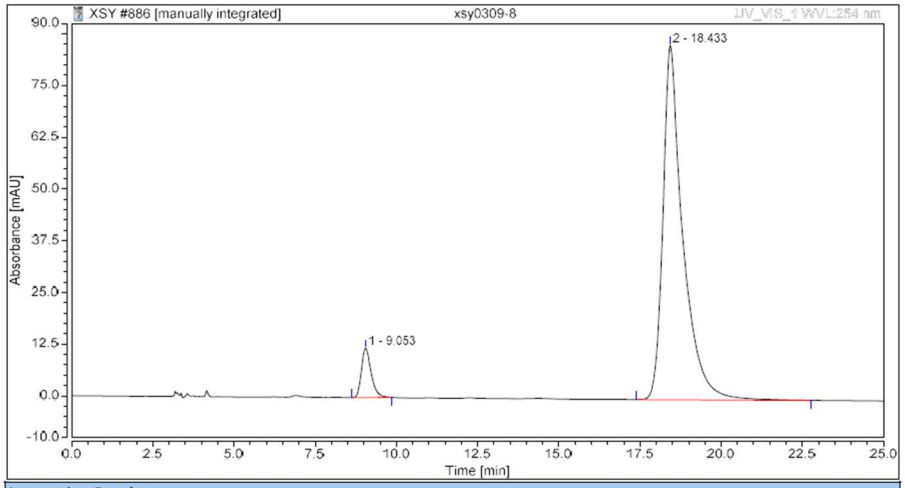


3c

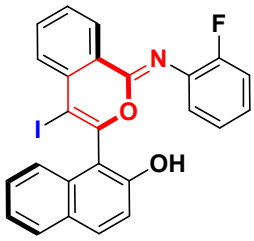
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.000	43.213	128.282	50.00	58.59	n.a.
2		18.247	43.214	90.670	50.00	41.41	n.a.
Total:			**86.427**	**218.951**	**100.00**	**100.00**	

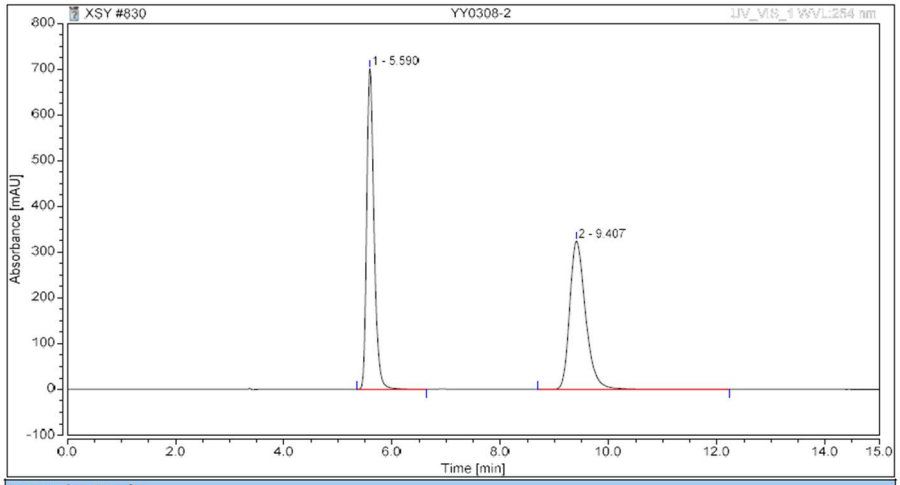


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		9.053	4.117	11.920	6.33	12.22	n.a.
2		18.433	60.909	85.642	93.67	87.78	n.a.
Total:			**65.026**	**97.562**	**100.00**	**100.00**	



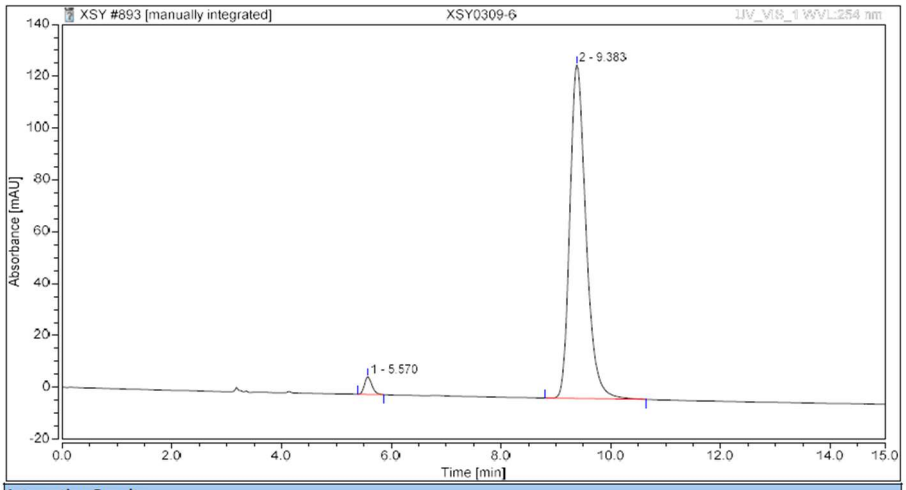
3d

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



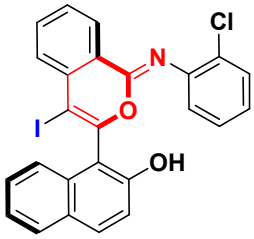
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.590	109.740	701.100	49.84	68.36	n.a.
2		9.407	110.436	324.542	50.16	31.64	n.a.
Total:			**220.176**	**1025.642**	**100.00**	**100.00**	



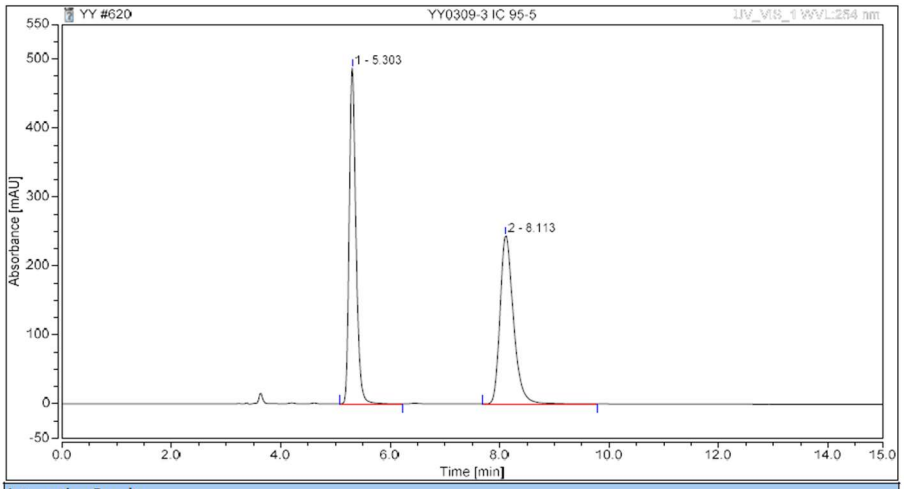
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.570	1.071	6.852	2.39	5.05	n.a.
2		9.383	43.793	128.840	97.61	94.95	n.a.
Total:			**44.864**	**135.692**	**100.00**	**100.00**	



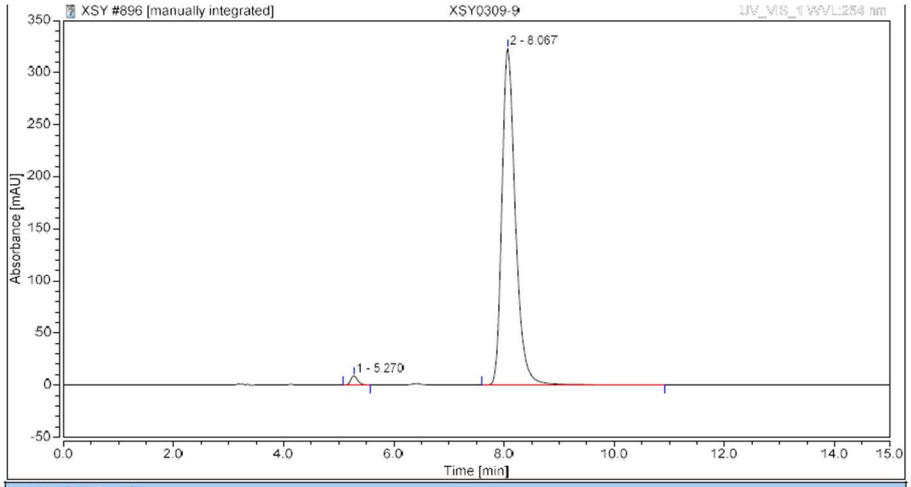
3e

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



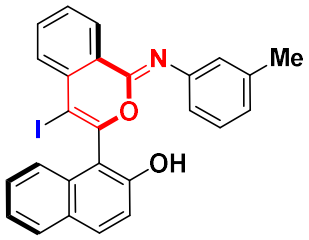
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.303	69.542	487.265	49.94	66.57	n.a.
2		8.113	69.696	244.639	50.06	33.43	n.a.
Total:			**139.238**	**731.905**	**100.00**	**100.00**	



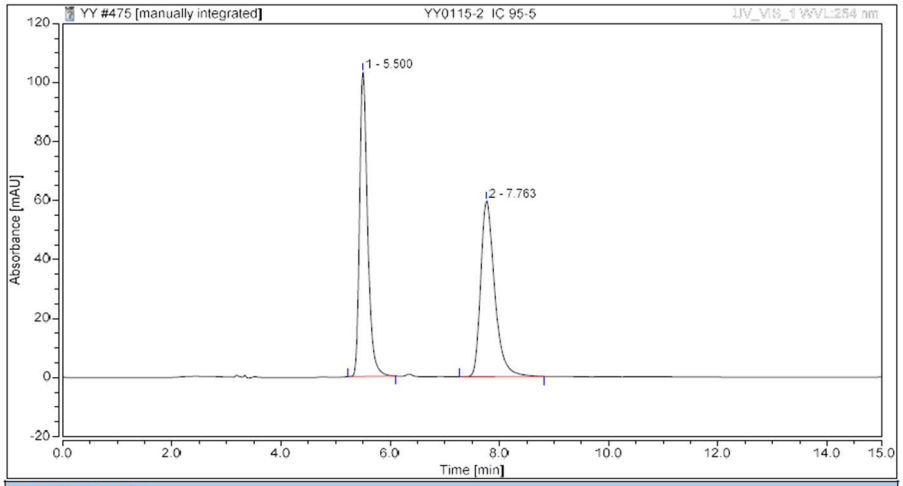
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.270	1.254	8.764	1.35	2.64	n.a.
2		8.067	91.901	323.212	98.65	97.36	n.a.
Total:			**93.156**	**331.976**	**100.00**	**100.00**	

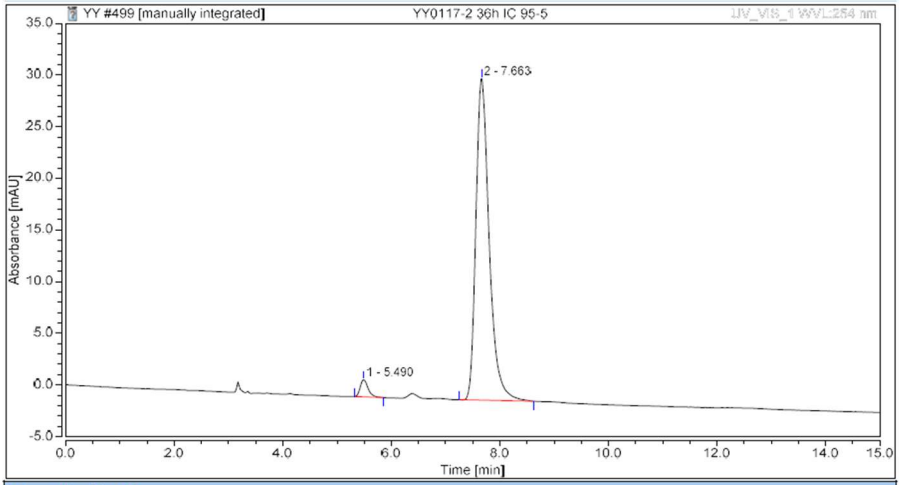


3f

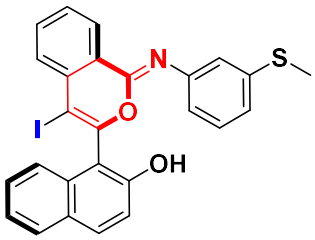
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.500	17.605	103.221	49.88	63.37	n.a.
2		7.763	17.689	59.652	50.12	36.63	n.a.
Total:			**35.294**	**162.873**	**100.00**	**100.00**	

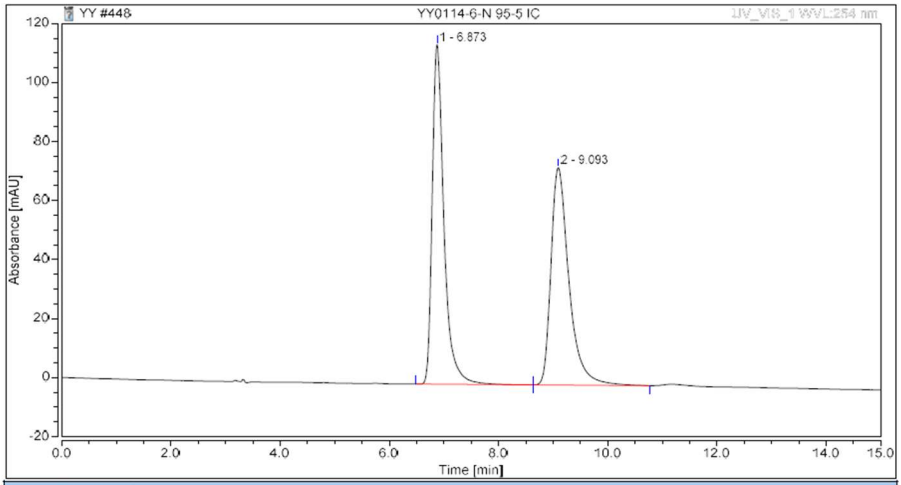


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.490	0.278	1.669	3.05	5.08	n.a.
2		7.663	8.836	31.175	96.95	94.92	n.a.
Total:			**9.114**	**32.844**	**100.00**	**100.00**	

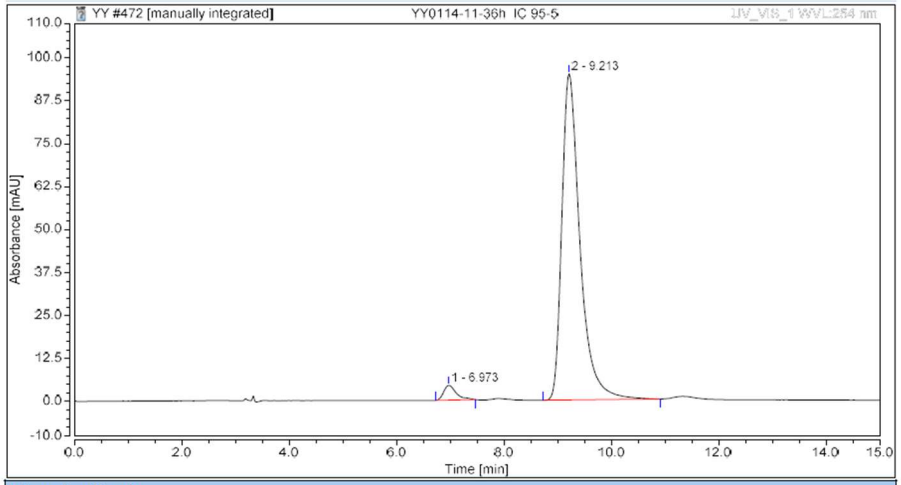


3g

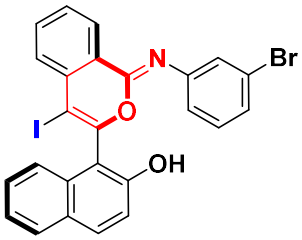
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.873	28.601	115.162	50.47	60.93	n.a.
2		9.093	28.069	73.837	49.53	39.07	n.a.
Total:			**56.671**	**189.000**	**100.00**	**100.00**	

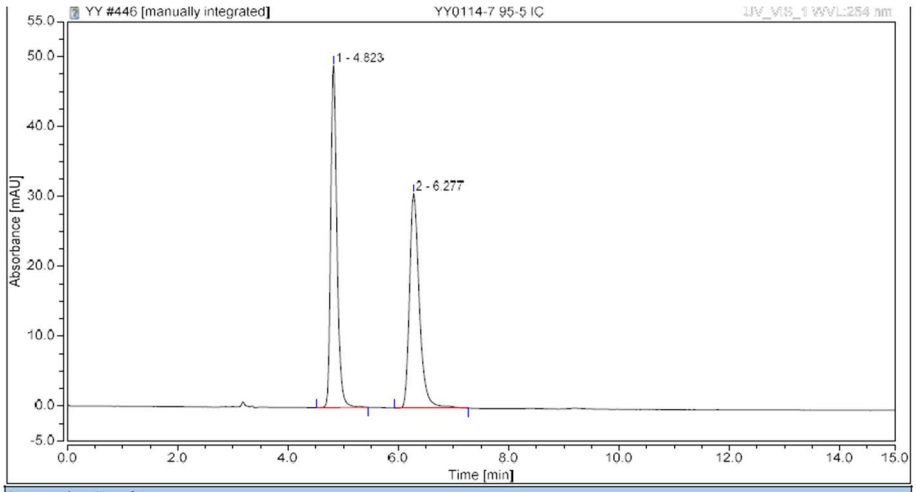


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.973	1.164	4.307	3.04	4.34	n.a.
2		9.213	37.094	95.016	96.96	95.66	n.a.
Total:			**38.257**	**99.323**	**100.00**	**100.00**	

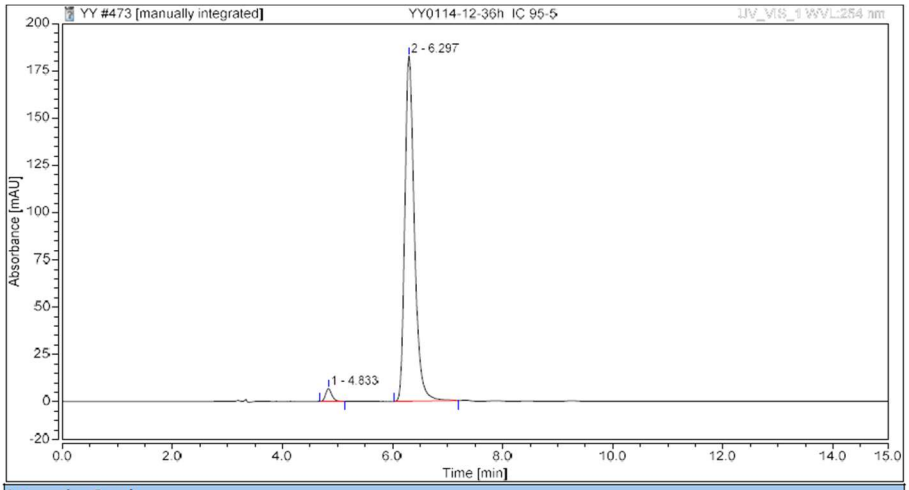


3h

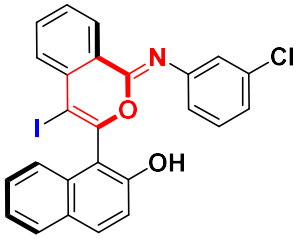
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.823	6.380	48.997	50.10	61.46	n.a.
2		6.277	6.355	30.729	49.90	38.54	n.a.
Total:			**12.736**	**79.726**	**100.00**	**100.00**	

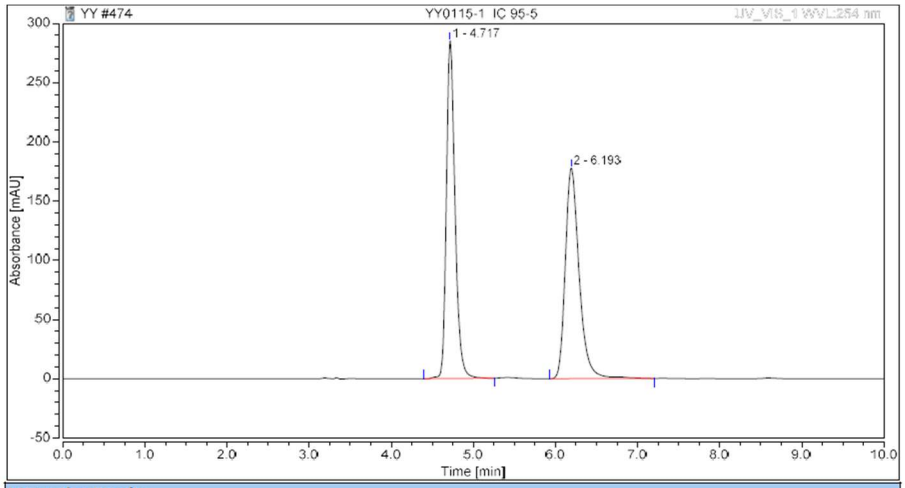


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.833	0.898	6.934	2.39	3.66	n.a.
2		6.297	36.750	182.733	97.61	96.34	n.a.
Total:			**37.648**	**189.667**	**100.00**	**100.00**	



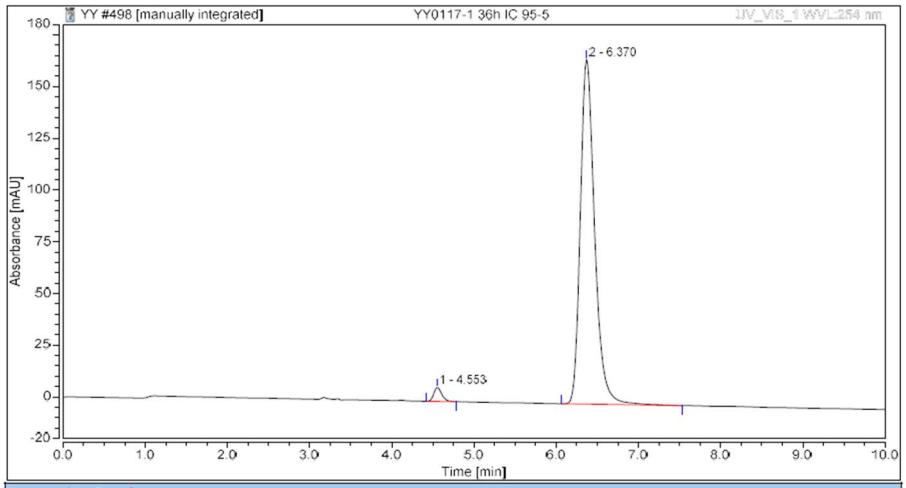
3i

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



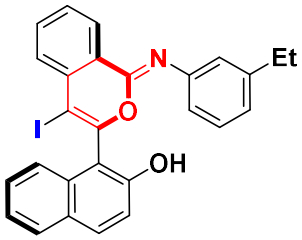
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.717	34.834	285.426	50.04	61.62	n.a.
2		6.193	34.772	177.803	49.96	38.38	n.a.
Total:			**69.606**	**463.229**	**100.00**	**100.00**	



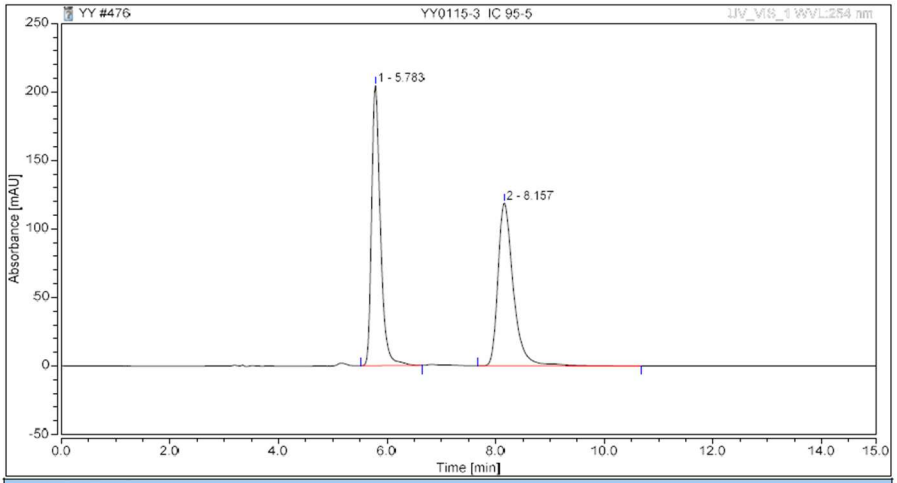
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.553	0.757	6.801	2.20	3.92	n.a.
2		6.370	33.646	166.701	97.80	96.08	n.a.
Total:			**34.403**	**173.502**	**100.00**	**100.00**	



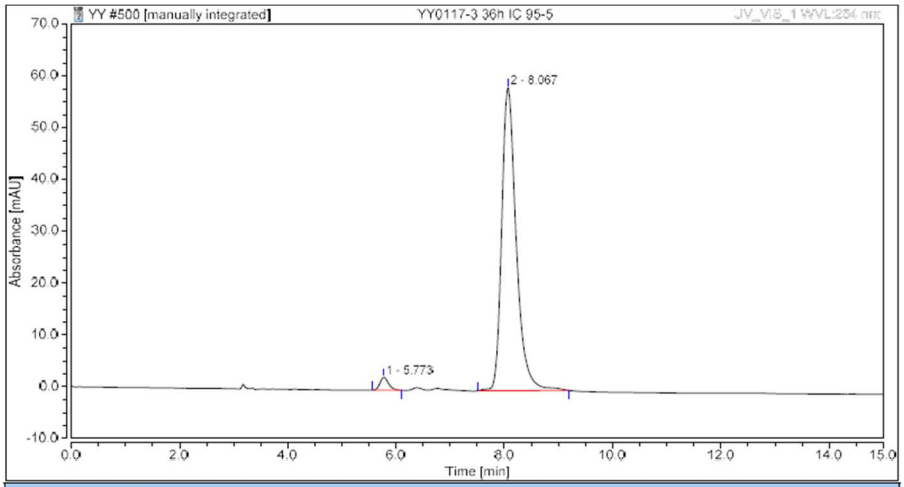
3j

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



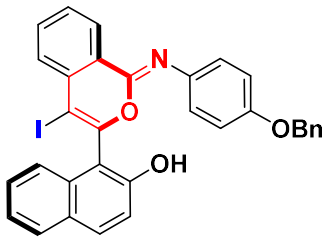
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.783	38.599	204.542	49.73	63.21	n.a.
2		8.157	39.013	119.047	50.27	36.79	n.a.
Total:			**77.612**	**323.589**	**100.00**	**100.00**	



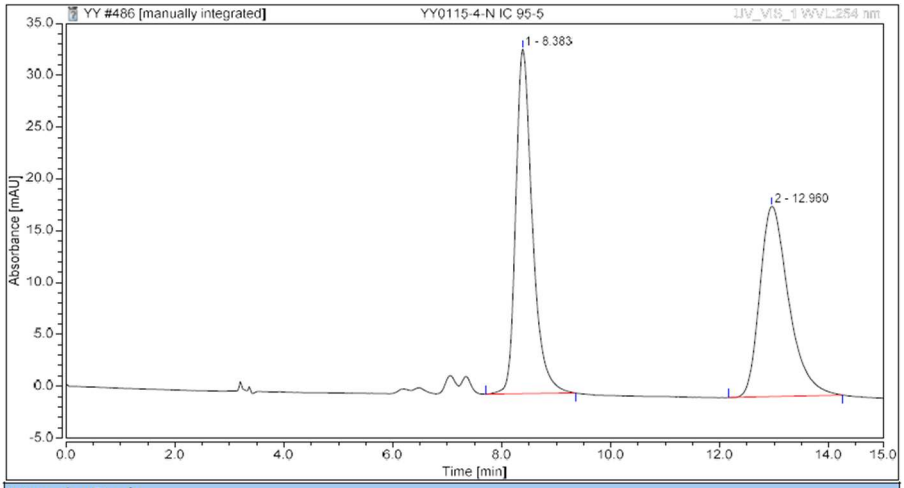
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.773	0.448	2.488	2.40	4.08	n.a.
2		8.067	18.198	58.547	97.60	95.92	n.a.
Total:			**18.645**	**61.035**	**100.00**	**100.00**	



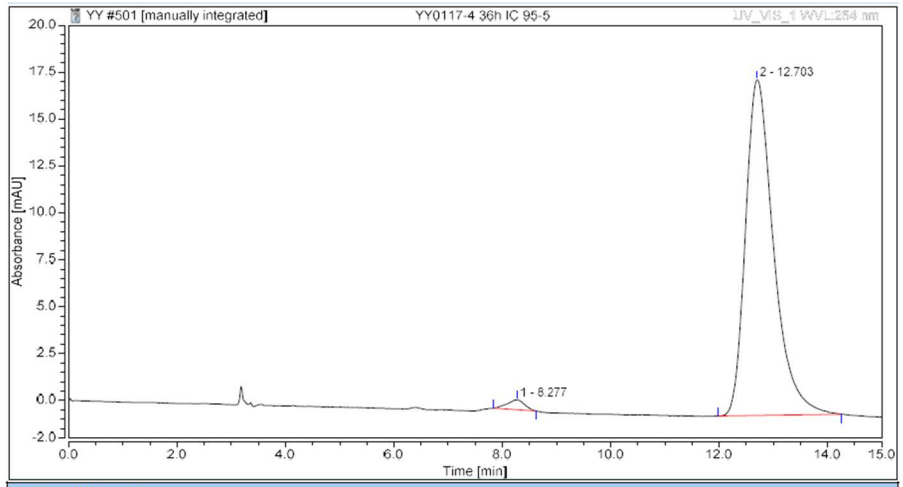
3k

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



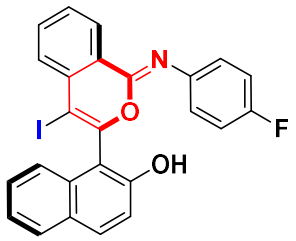
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.383	11.779	33.254	51.08	64.40	n.a.
2		12.960	11.282	18.383	48.92	35.60	n.a.
Total:			**23.061**	**51.638**	**100.00**	**100.00**	



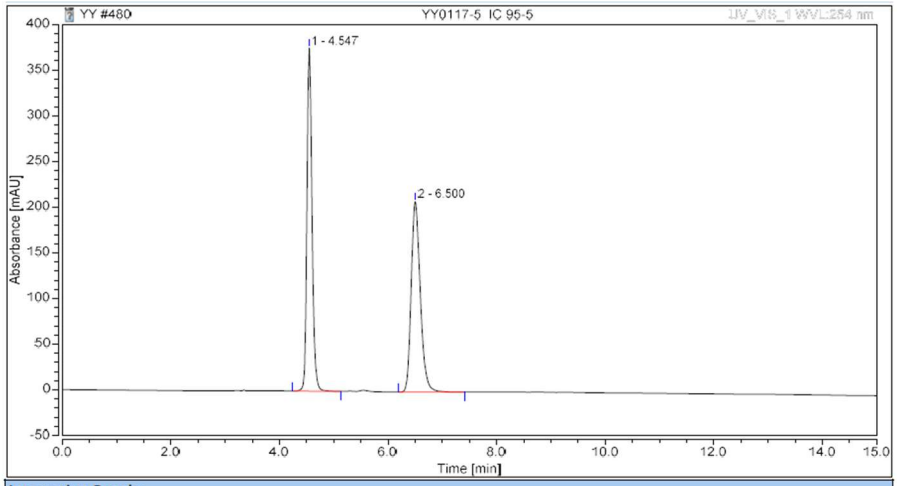
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.277	0.195	0.533	1.78	2.89	n.a.
2		12.703	10.772	17.933	98.22	97.11	n.a.
Total:			**10.967**	**18.465**	**100.00**	**100.00**	



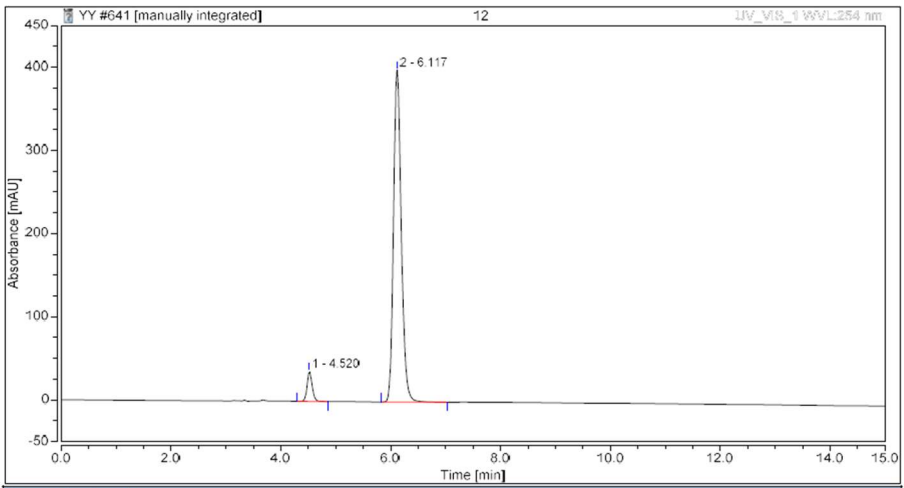
3l

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



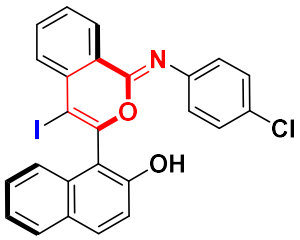
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.547	40.794	375.749	50.21	64.31	n.a.
2		6.500	40.448	208.500	49.79	35.69	n.a.
Total:			**81.242**	**584.250**	**100.00**	**100.00**	



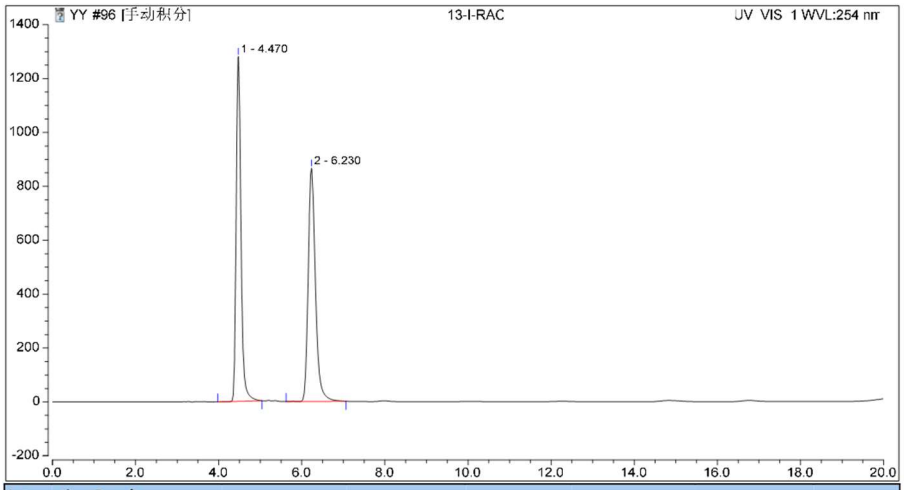
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.520	3.859	36.280	5.56	8.33	n.a.
2		6.117	65.516	399.218	94.44	91.67	n.a.
Total:			**69.375**	**435.498**	**100.00**	**100.00**	



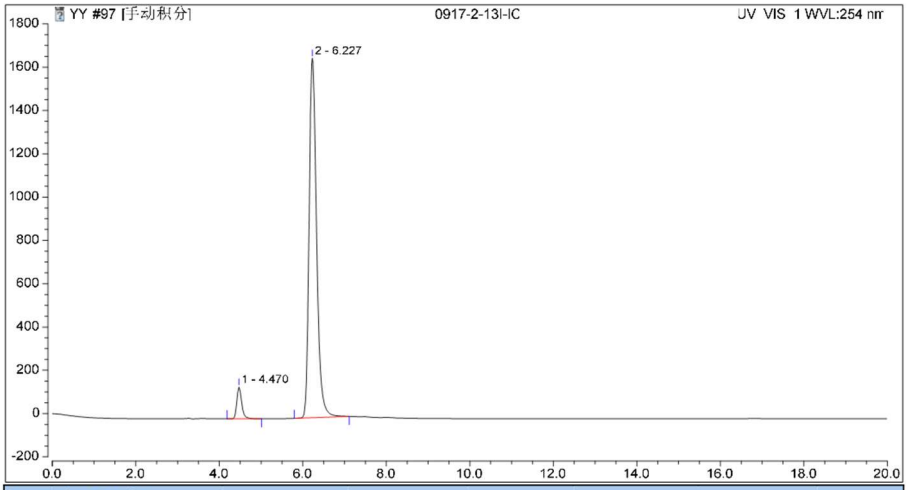
3m

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



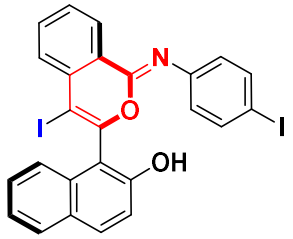
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		4.470	170.878	1279.595	49.62	59.65	n.a.
2		6.230	173.474	865.594	50.38	40.35	n.a.
Total:			**344.352**	**2145.189**	**100.00**	**100.00**	



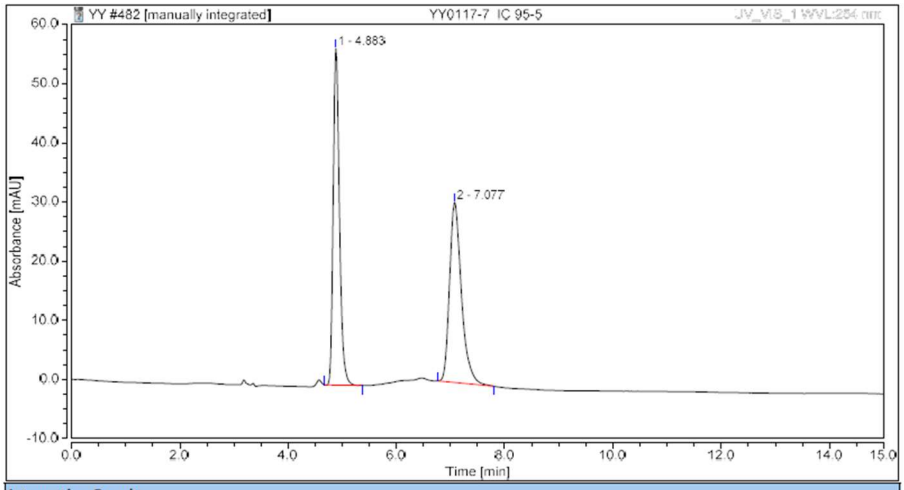
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		4.470	20.038	146.600	5.53	8.11	n.a.
2		6.227	342.590	1660.866	94.47	91.89	n.a.
Total:			**362.628**	**1807.466**	**100.00**	**100.00**	



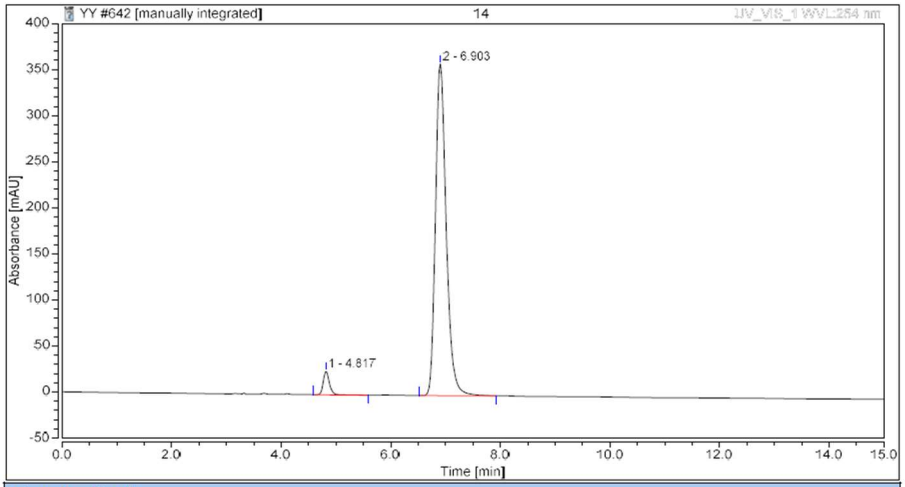
3n

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



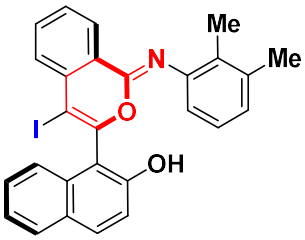
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.883	7.563	56.874	49.51	65.12	n.a.
2		7.077	7.713	30.459	50.49	34.88	n.a.
Total:			**15.276**	**87.334**	**100.00**	**100.00**	



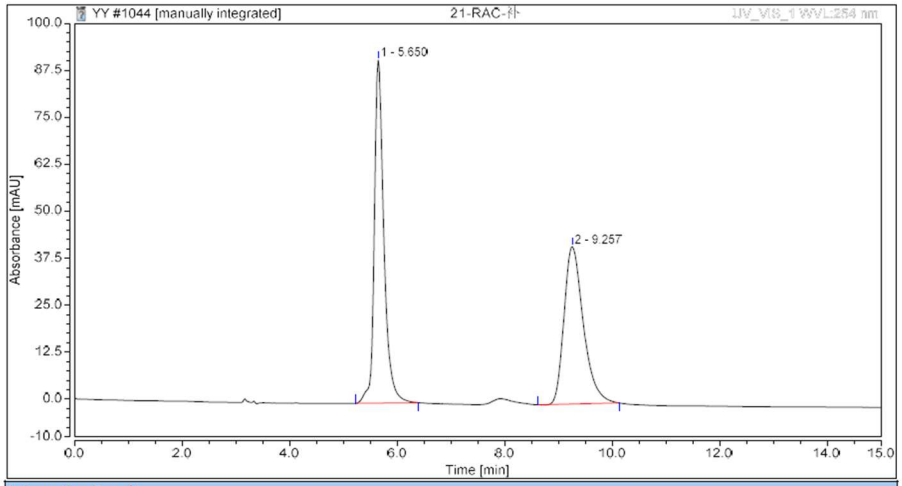
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.817	3.275	25.665	3.85	6.65	n.a.
2		6.903	81.873	360.362	96.15	93.35	n.a.
Total:			**85.149**	**386.027**	**100.00**	**100.00**	



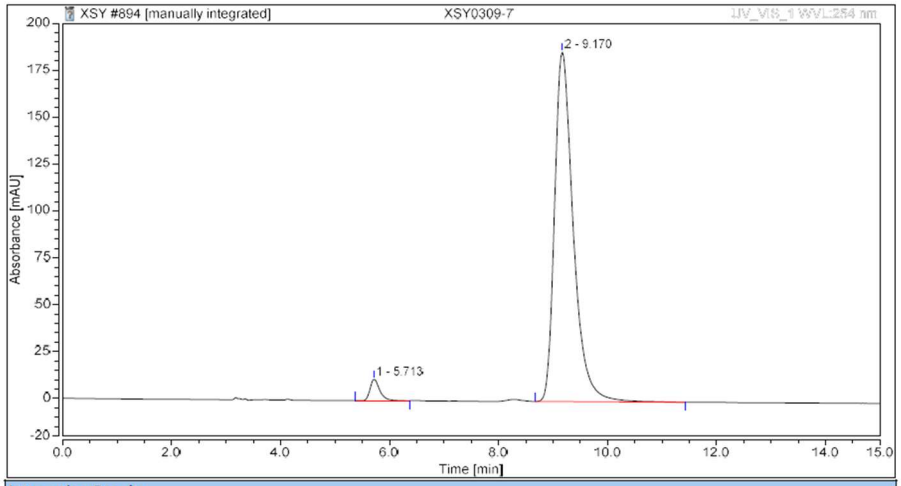
3o

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



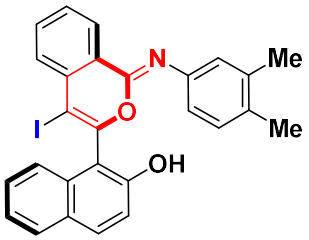
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.650	18.892	91.399	51.99	68.55	n.a.
2		9.257	17.448	41.933	48.01	31.45	n.a.
Total:			**36.339**	**133.331**	**100.00**	**100.00**	



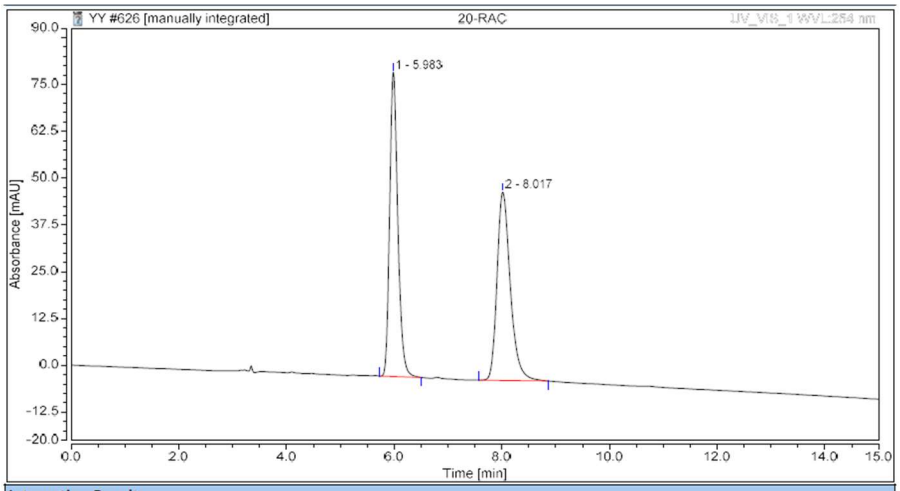
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.713	2.329	11.410	3.05	5.76	n.a.
2		9.170	74.130	186.543	96.95	94.24	n.a.
Total:			**76.459**	**197.954**	**100.00**	**100.00**	



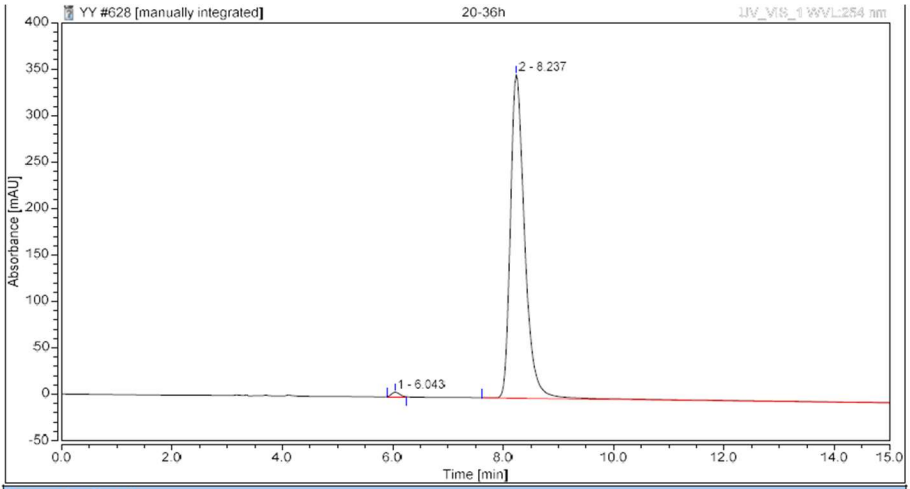
3p

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



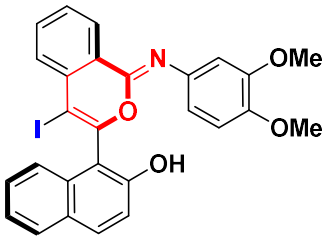
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.983	14.322	81.341	49.91	61.76	n.a.
2		8.017	14.373	50.359	50.09	38.24	n.a.
Total:			**28.695**	**131.699**	**100.00**	**100.00**	



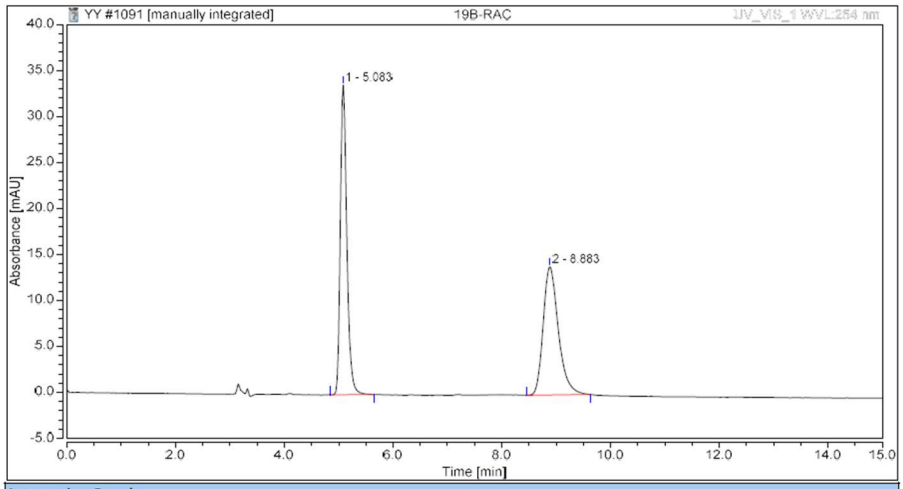
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.043	0.812	4.923	0.76	1.39	n.a.
2		8.237	105.873	348.618	99.24	98.61	n.a.
Total:			**106.685**	**353.541**	**100.00**	**100.00**	



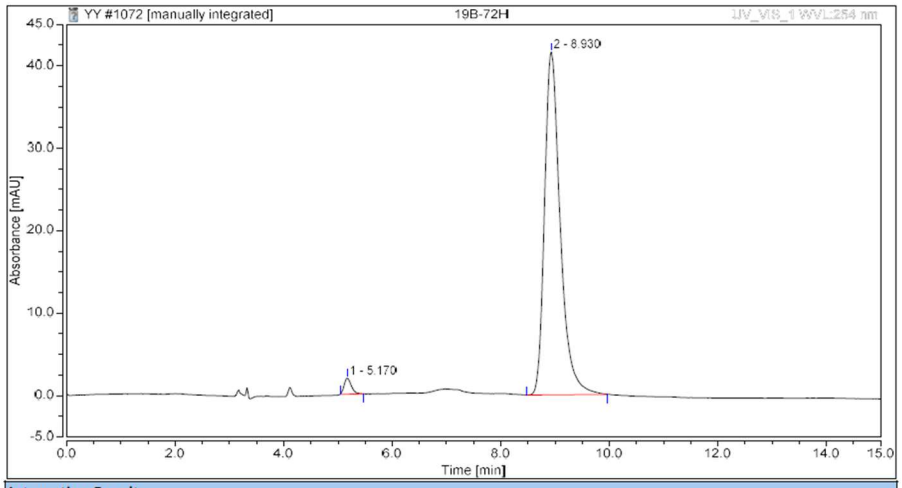
3q

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



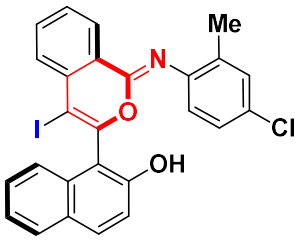
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.083	4.678	33.712	51.02	70.72	n.a.
2		8.883	4.491	13.958	48.98	29.28	n.a.
Total:			**9.169**	**47.669**	**100.00**	**100.00**	



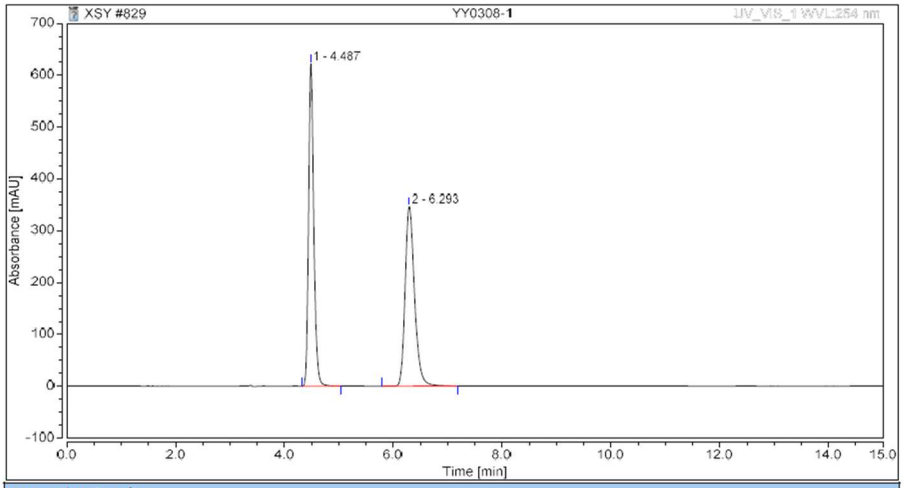
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.170	0.299	1.982	2.11	4.55	n.a.
2		8.930	13.897	41.588	97.89	95.45	n.a.
Total:			**14.196**	**43.570**	**100.00**	**100.00**	



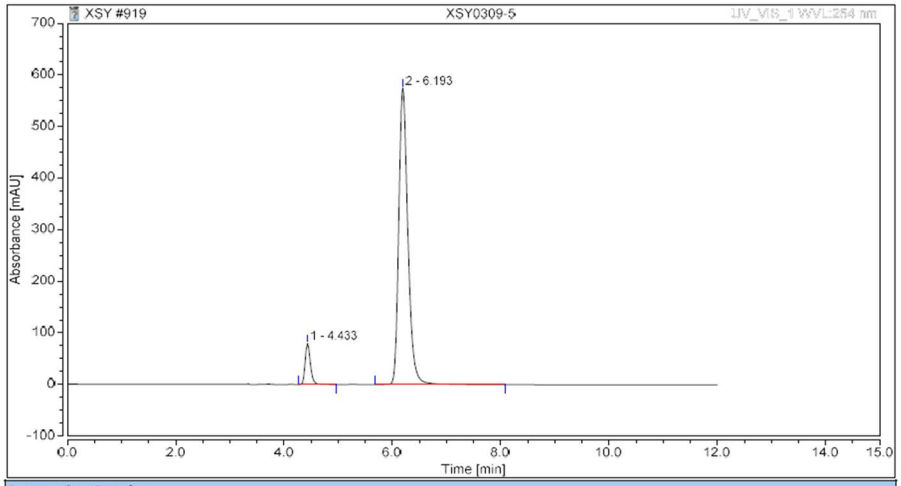
3r

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



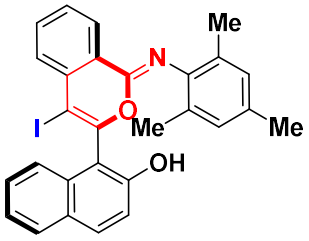
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.487	69.476	622.646	50.01	64.21	n.a.
2		6.293	69.440	346.986	49.99	35.79	n.a.
Total:			**138.917**	**969.633**	**100.00**	**100.00**	



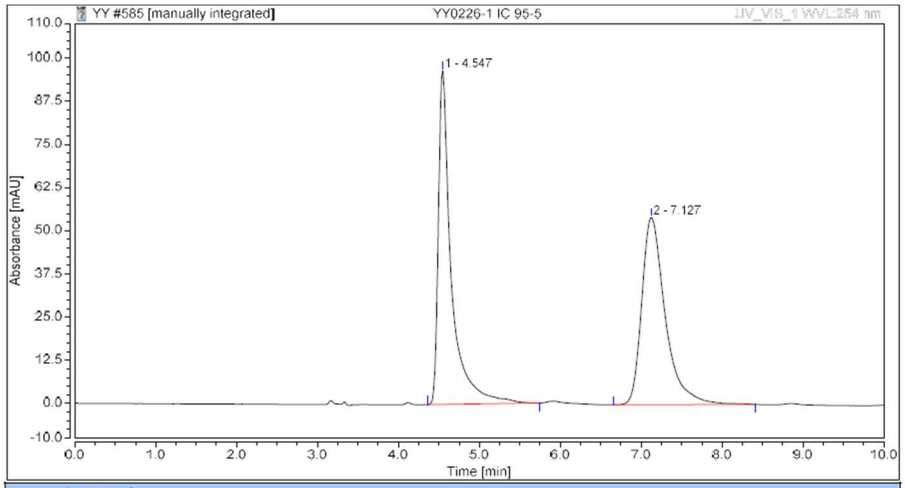
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.433	8.837	80.117	7.32	12.23	n.a.
2		6.193	111.823	575.177	92.68	87.77	n.a.
Total:			**120.660**	**655.294**	**100.00**	**100.00**	

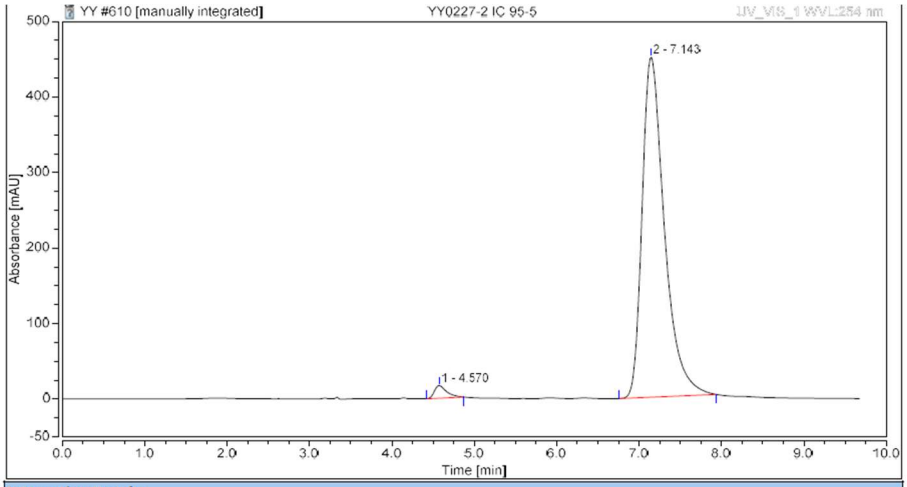


3s

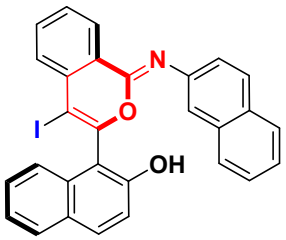
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.547	17.931	96.635	49.56	64.05	n.a.
2		7.127	18.250	54.229	50.44	35.95	n.a.
Total:			**36.180**	**150.864**	**100.00**	**100.00**	

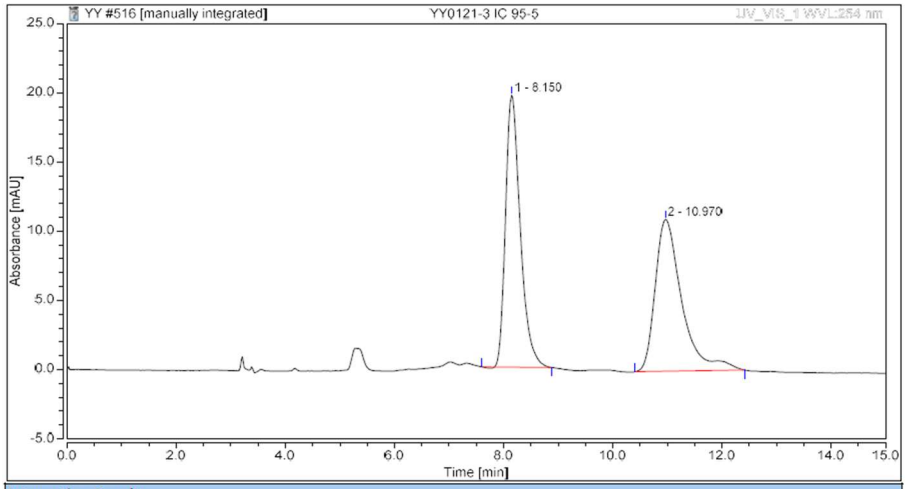


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.570	2.866	16.826	1.99	3.60	n.a.
2		7.143	141.278	450.992	98.01	96.40	n.a.
Total:			**144.144**	**467.818**	**100.00**	**100.00**	



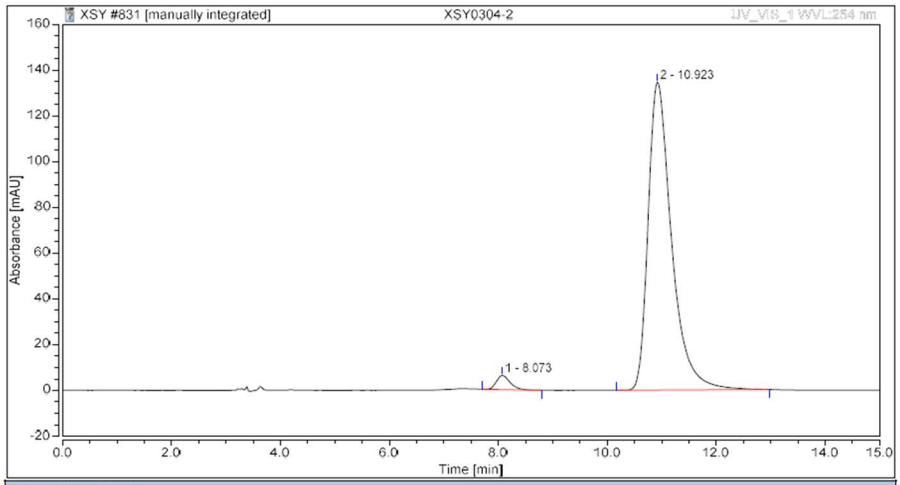
3t

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



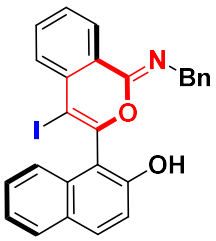
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.150	6.155	19.658	49.88	64.15	n.a.
2		10.970	6.184	10.986	50.12	35.85	n.a.
Total:			**12.338**	**30.644**	**100.00**	**100.00**	



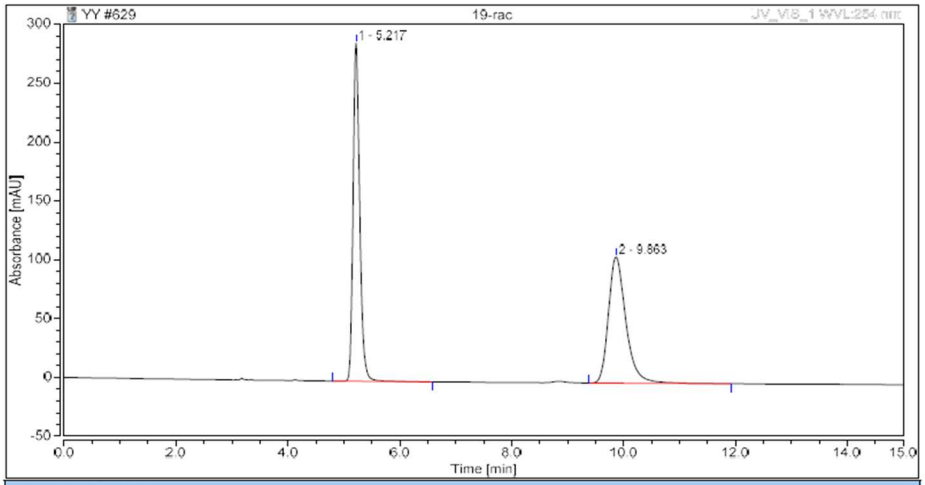
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.073	1.808	6.181	2.63	4.39	n.a.
2		10.923	67.047	134.624	97.37	95.61	n.a.
Total:			**68.855**	**140.804**	**100.00**	**100.00**	



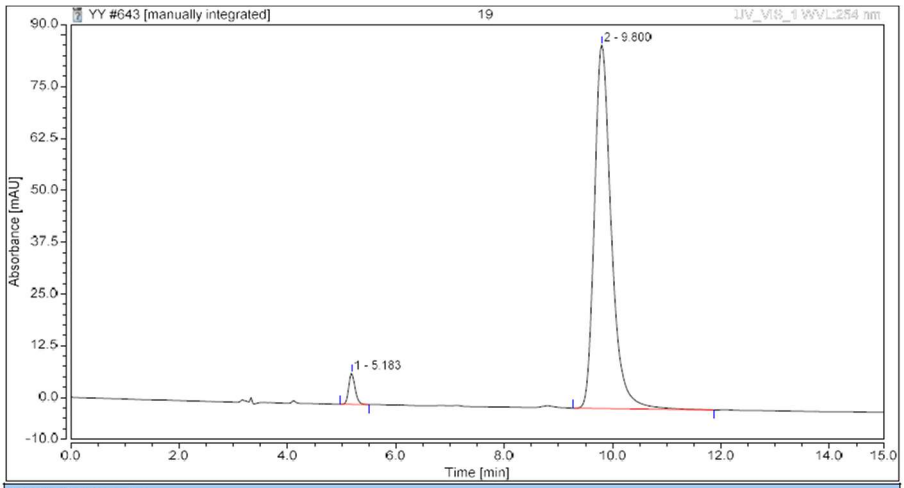
3u

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



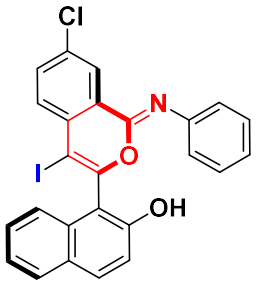
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.217	39.326	287.353	50.34	72.79	n.a.
2		9.863	38.789	107.413	49.66	27.21	n.a.
Total:			**78.115**	**394.766**	**100.00**	**100.00**	



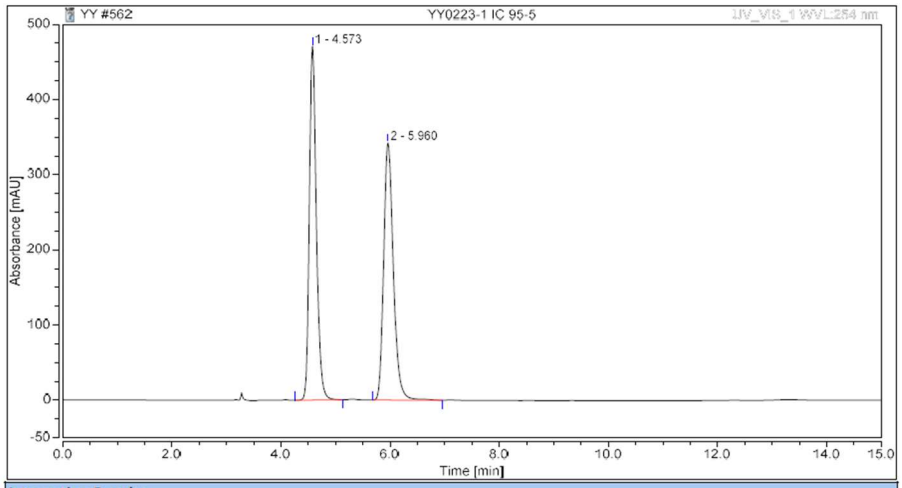
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.183	1.024	7.507	3.13	7.88	n.a.
2		9.800	31.719	87.743	96.87	92.12	n.a.
Total:			**32.743**	**95.250**	**100.00**	**100.00**	



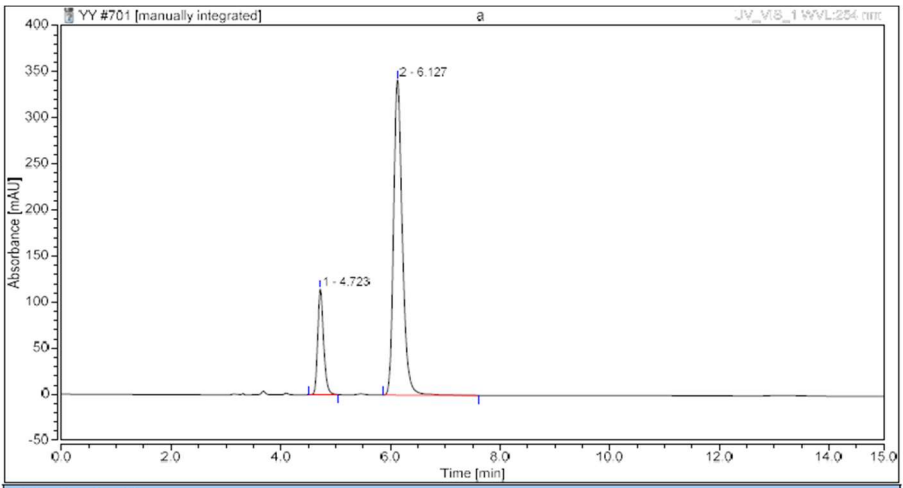
3v

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



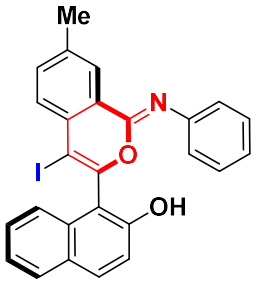
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.573	70.335	470.984	50.01	57.89	n.a.
2		5.960	70.296	342.636	49.99	42.11	n.a.
Total:			**140.631**	**813.620**	**100.00**	**100.00**	



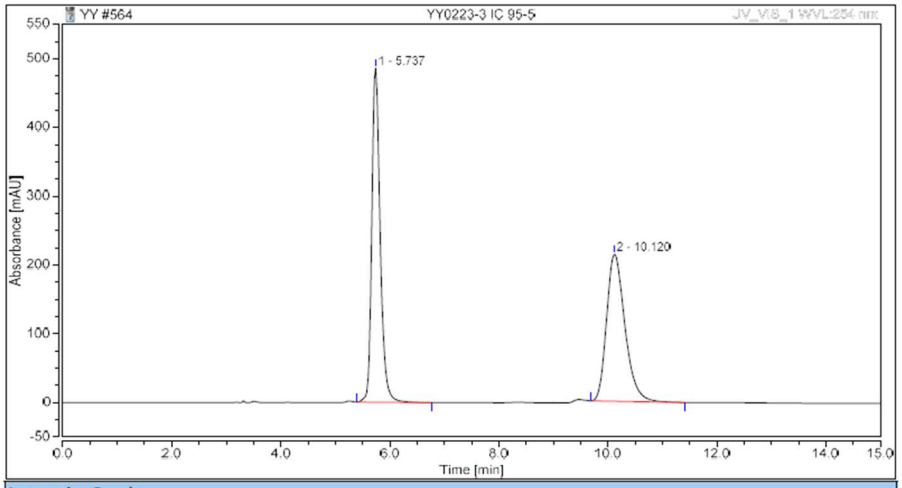
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.723	14.068	114.563	18.16	25.09	n.a.
2		6.127	63.411	342.129	81.84	74.91	n.a.
Total:			**77.479**	**456.693**	**100.00**	**100.00**	

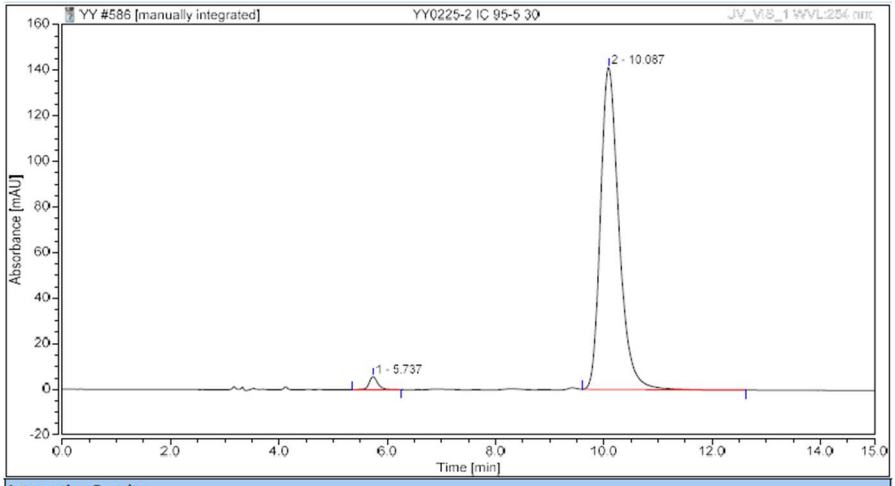


3w

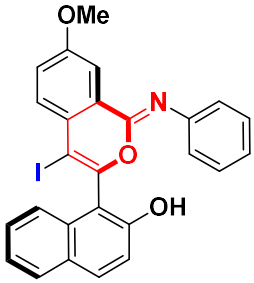
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.737	86.395	485.887	50.93	69.42	n.a.
2		10.120	83.234	214.000	49.07	30.58	n.a.
Total:			169.630	699.887	100.00	100.00	

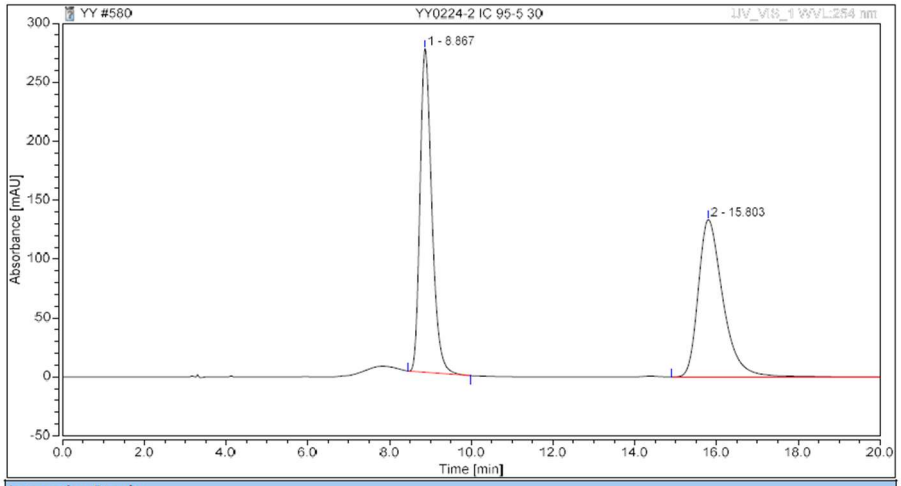


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.737	1.094	5.762	1.92	3.92	n.a.
2		10.087	55.748	141.297	98.08	96.08	n.a.
Total:			56.842	147.059	100.00	100.00	



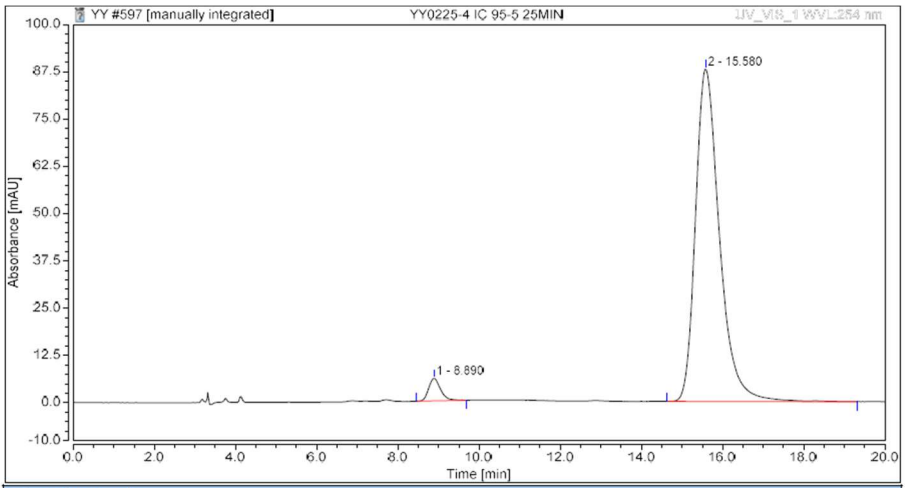
3x

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



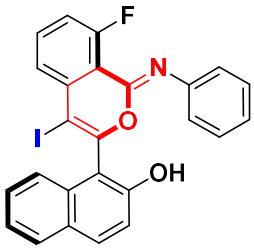
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.867	91.371	274.813	49.37	67.30	n.a.
2		15.803	93.693	133.508	50.63	32.70	n.a.
Total:			**185.064**	**408.322**	**100.00**	**100.00**	



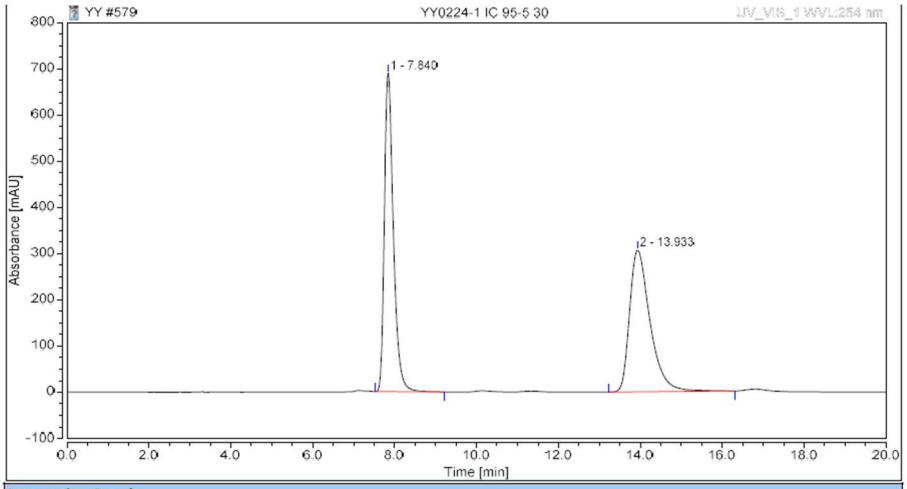
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.890	2.025	5.955	3.25	6.34	n.a.
2		15.580	60.365	88.027	96.75	93.66	n.a.
Total:			**62.389**	**93.982**	**100.00**	**100.00**	

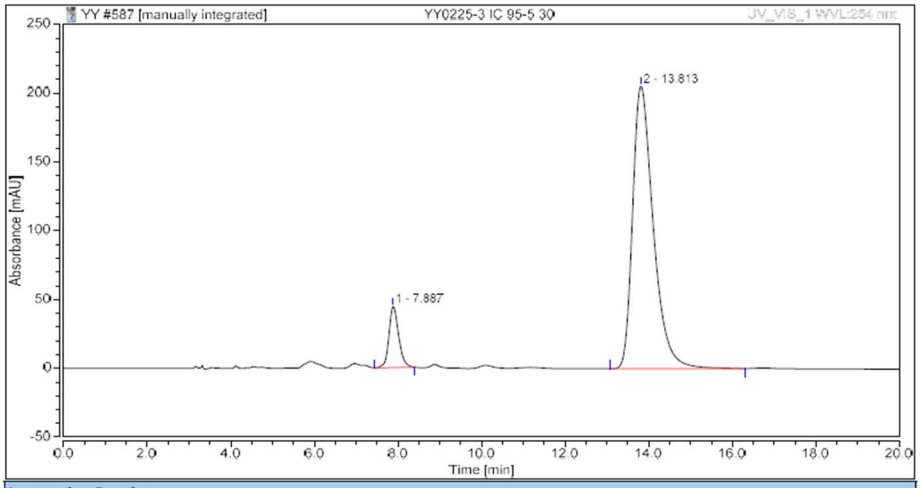


3y

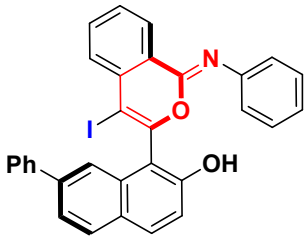
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.840	176.194	689.725	49.88	69.22	n.a.
2		13.933	177.046	306.752	50.12	30.78	n.a.
Total:			**353.241**	**996.477**	**100.00**	**100.00**	

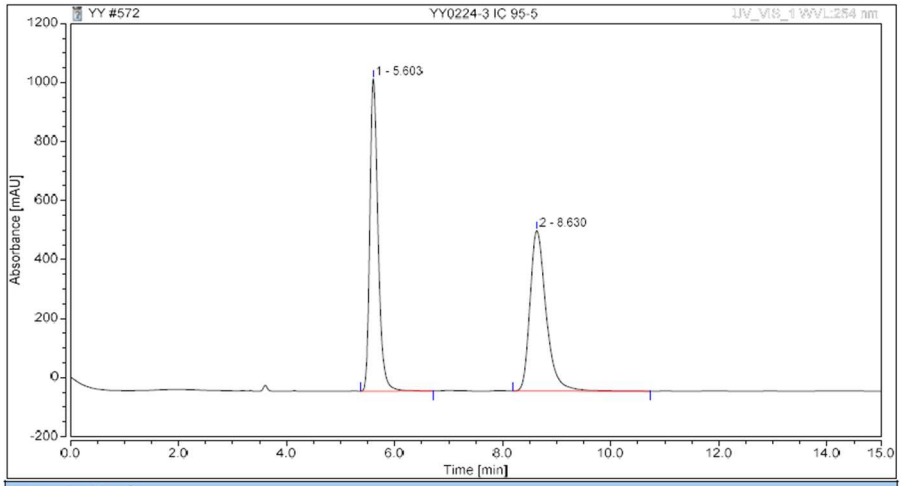


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.887	11.970	44.612	9.26	17.82	n.a.
2		13.813	117.345	205.744	90.74	82.18	n.a.
Total:			**129.315**	**250.357**	**100.00**	**100.00**	



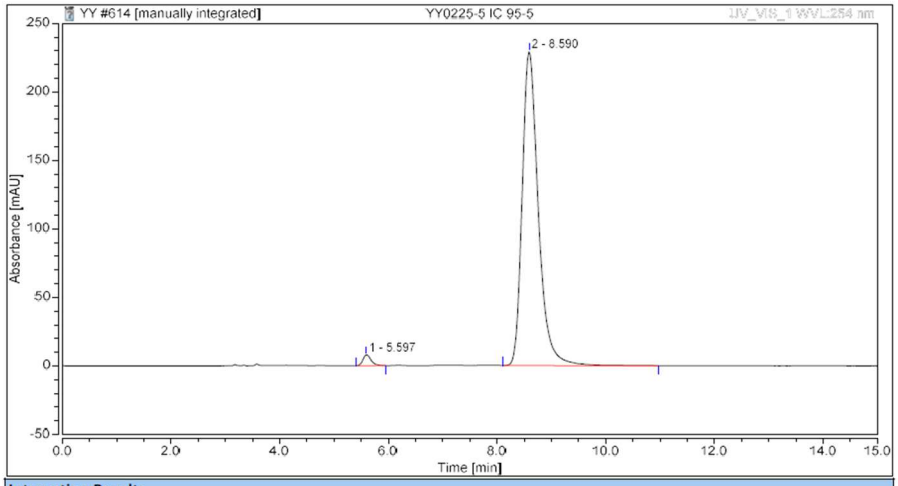
3z

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



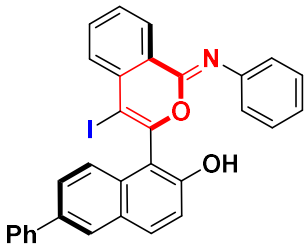
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.603	186.608	1058.900	49.75	66.03	n.a.
2		8.630	188.450	544.873	50.25	33.97	n.a.
Total:			**375.059**	**1603.773**	**100.00**	**100.00**	



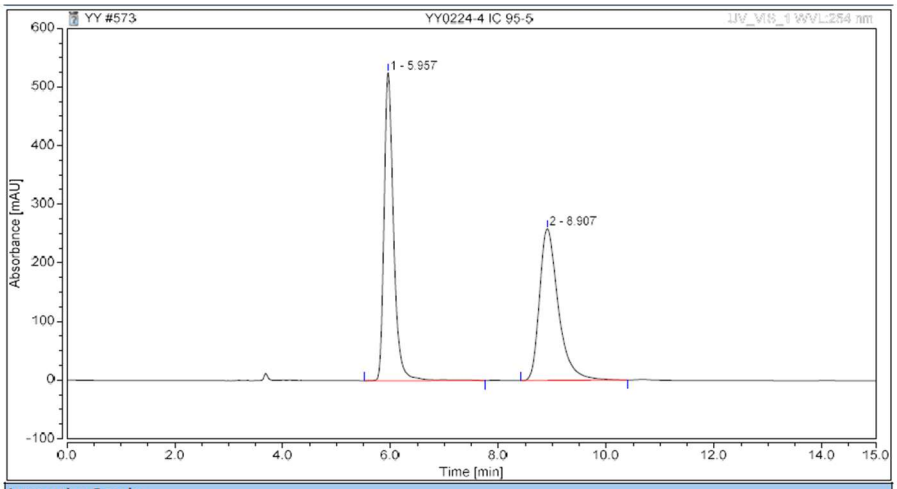
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.597	1.380	7.937	1.72	3.35	n.a.
2		8.590	78.722	229.179	98.28	96.65	n.a.
Total:			**80.102**	**237.115**	**100.00**	**100.00**	



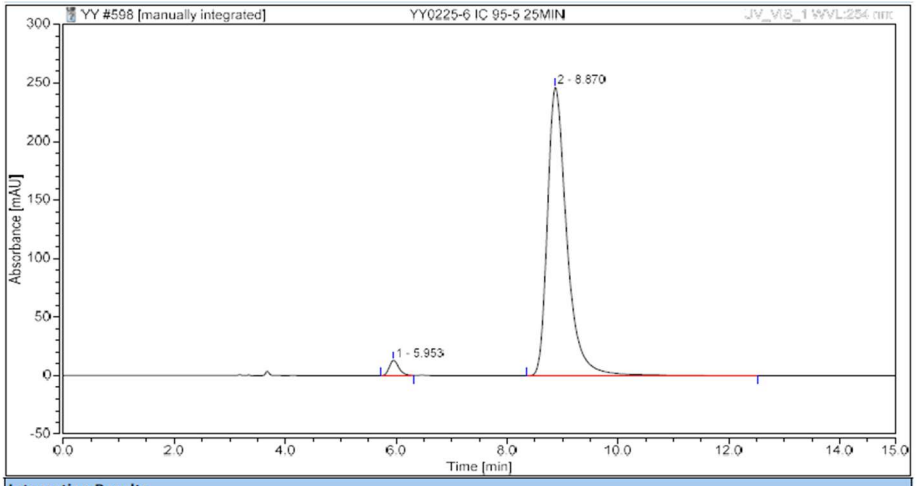
3aa

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



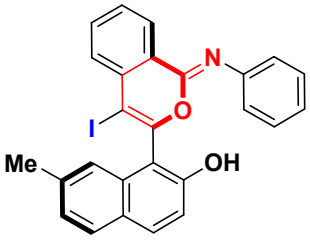
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.957	106.995	525.382	50.55	66.96	n.a.
2		8.907	104.678	259.186	49.45	33.04	n.a.
Total:			**211.673**	**784.568**	**100.00**	**100.00**	



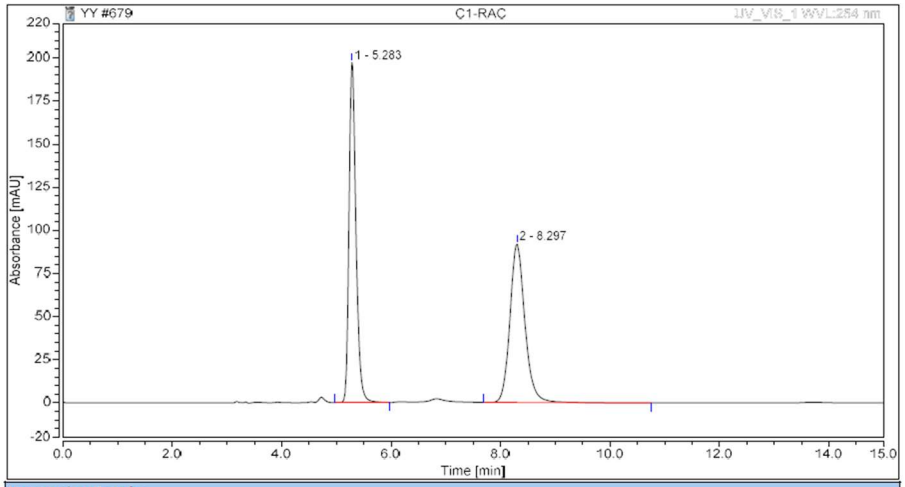
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.953	2.593	13.056	2.52	5.03	n.a.
2		8.870	100.118	246.673	97.48	94.97	n.a.
Total:			**102.711**	**259.730**	**100.00**	**100.00**	



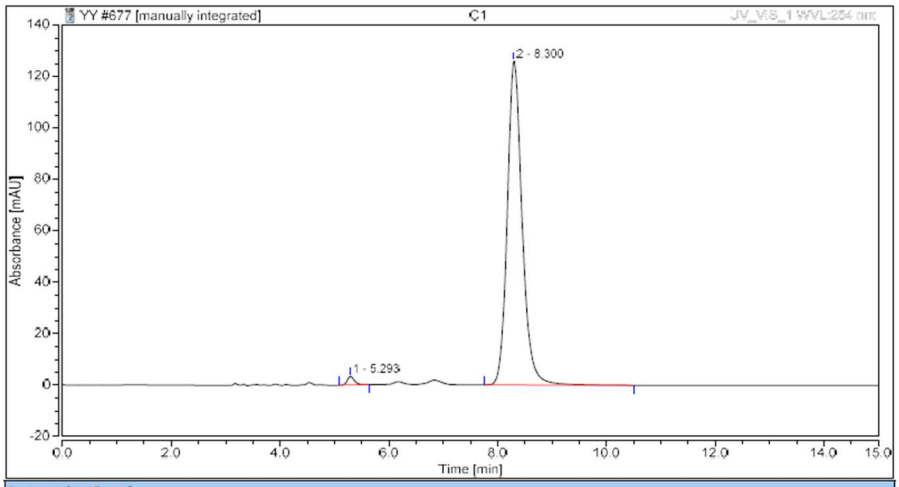
3ab

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



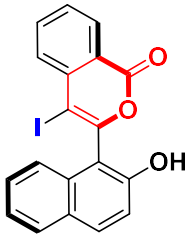
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.283	28.973	197.440	49.83	68.21	n.a.
2		8.297	29.173	92.012	50.17	31.79	n.a.
Total:			**58.145**	**289.452**	**100.00**	**100.00**	



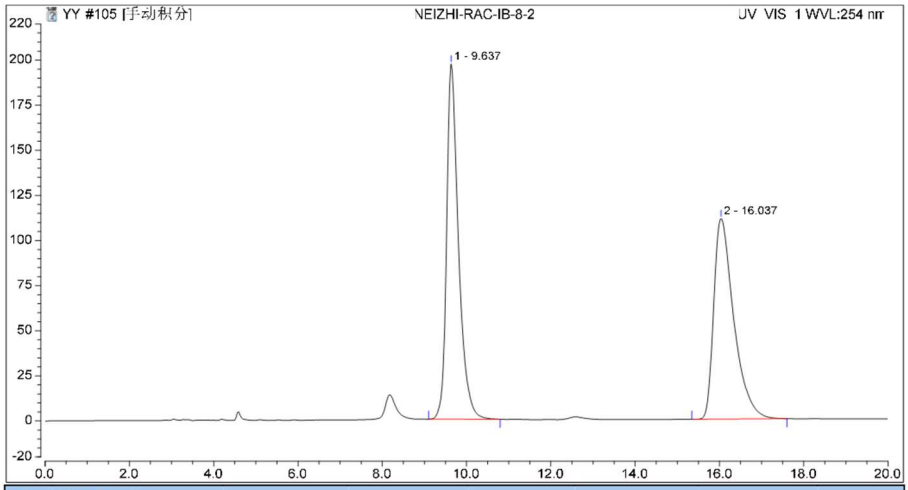
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.293	0.492	3.315	1.22	2.56	n.a.
2		8.300	39.962	126.105	98.78	97.44	n.a.
Total:			**40.454**	**129.420**	**100.00**	**100.00**	



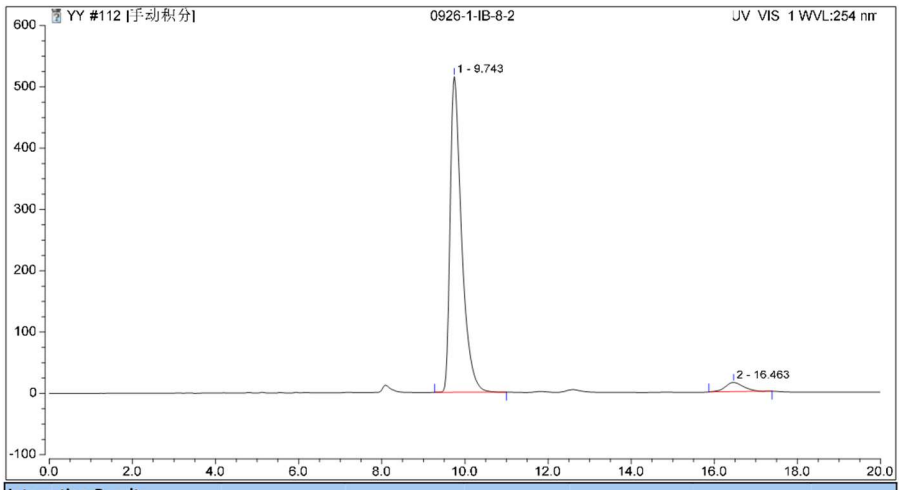
4

HPLC analysis: Chiralcel IB-H (Hexane/*i*-PrOH = 8:2, flow rate = 1.0 mL/min)



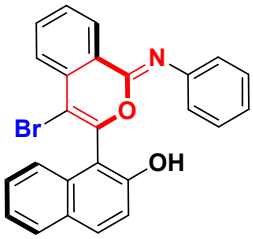
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		9.637	64.055	196.994	51.19	63.91	n.a.
2		16.037	61.087	111.257	48.81	36.09	n.a.
Total:			**125.142**	**308.252**	**100.00**	**100.00**	



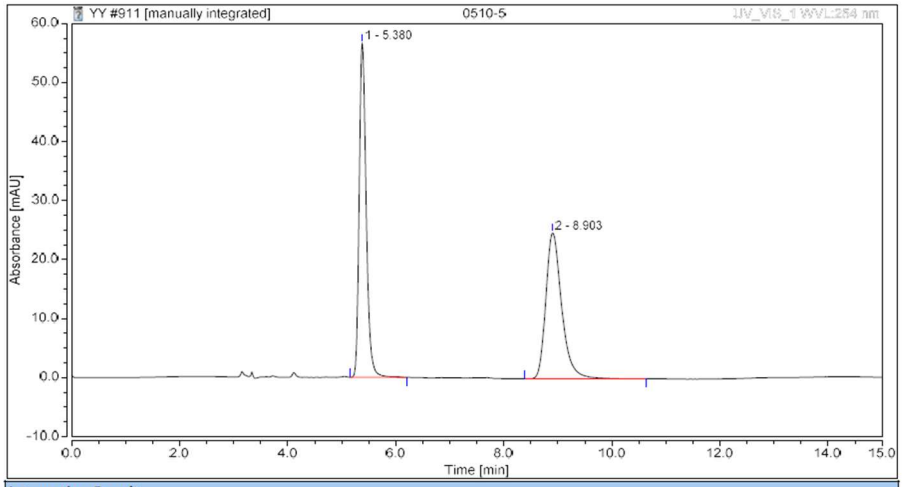
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		9.743	164.195	514.895	95.58	97.17	n.a.
2		16.463	7.591	14.995	4.42	2.83	n.a.
Total:			**171.785**	**529.890**	**100.00**	**100.00**	



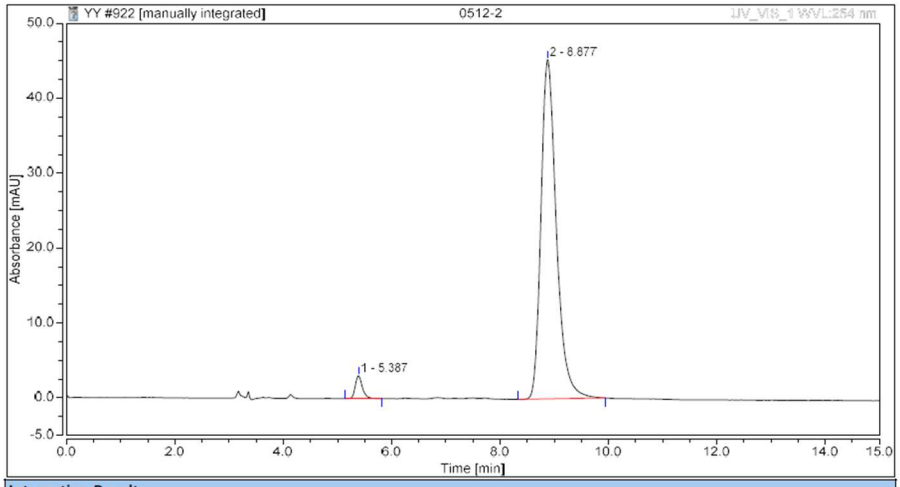
5a

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



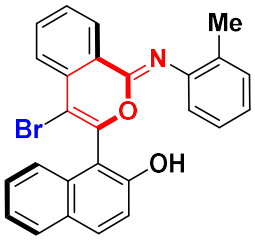
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.380	8.455	56.680	50.15	69.60	n.a.
2		8.903	8.406	24.762	49.85	30.40	n.a.
Total:			**16.861**	**81.442**	**100.00**	**100.00**	



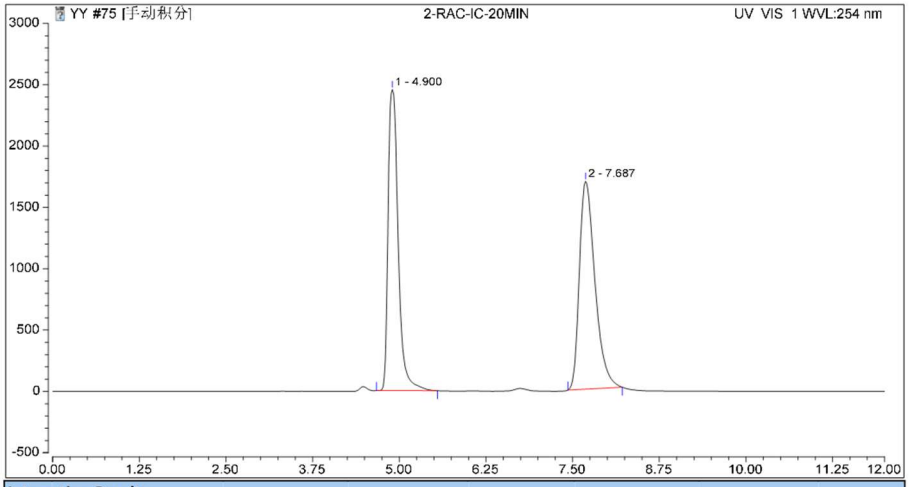
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.387	0.462	3.066	3.01	6.33	n.a.
2		8.877	14.884	45.348	96.99	93.67	n.a.
Total:			**15.345**	**48.414**	**100.00**	**100.00**	



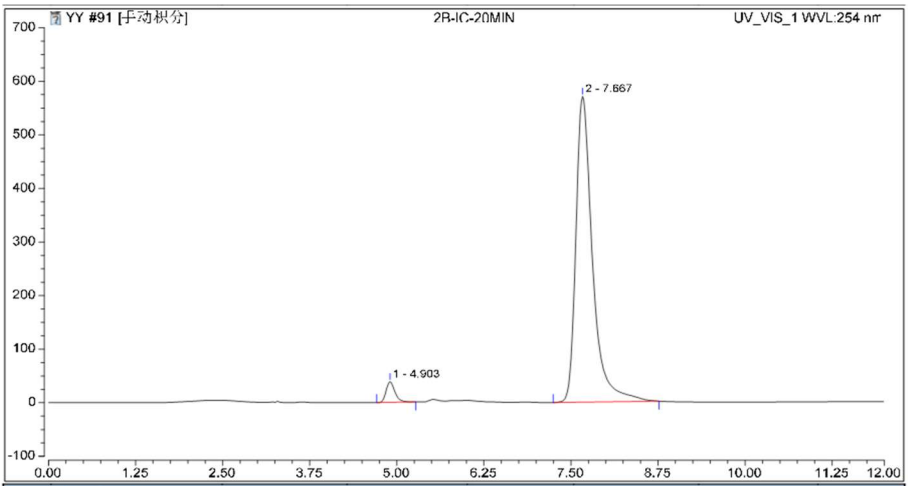
5b

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



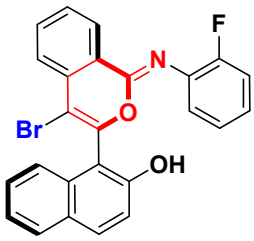
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		4.900	413.415	2454.706	48.45	59.13	n.a.
2		7.687	439.937	1696.421	51.55	40.87	n.a.
Total:			**853.351**	**4151.127**	**100.00**	**100.00**	



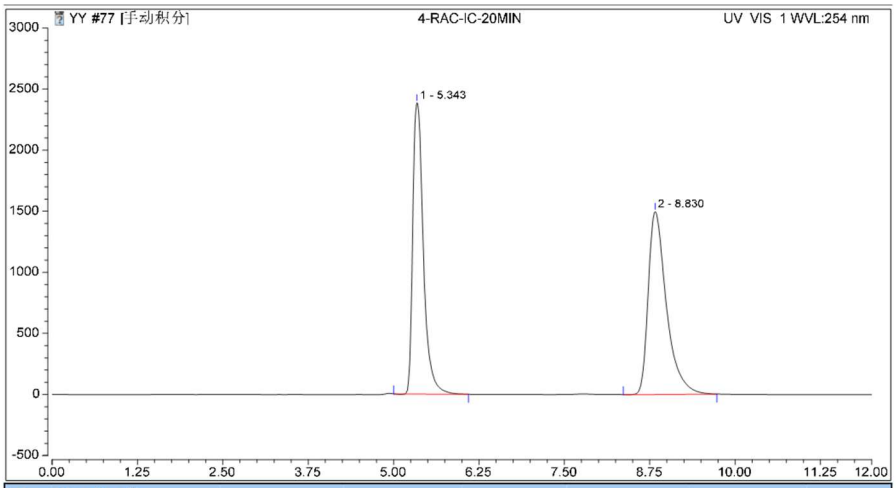
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		4.903	5.698	38.736	3.48	6.35	n.a.
2		7.667	158.057	571.126	96.52	93.65	n.a.
Total:			**163.755**	**609.862**	**100.00**	**100.00**	



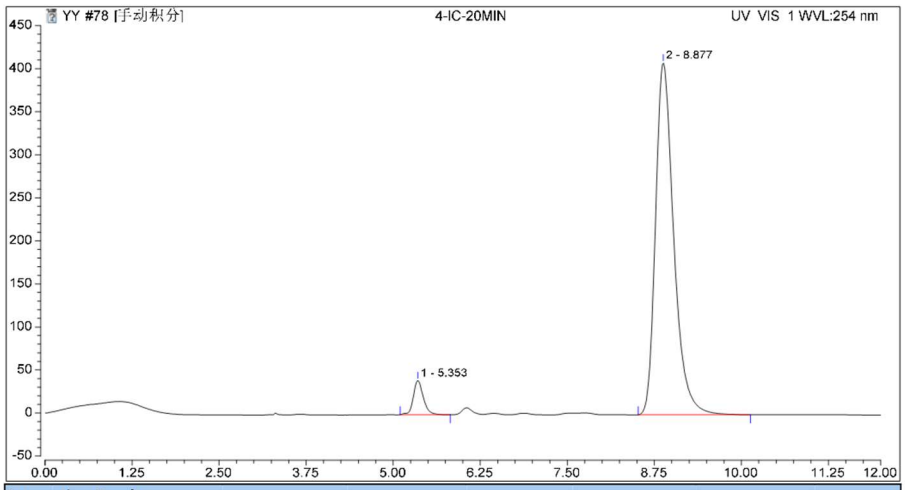
5c

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



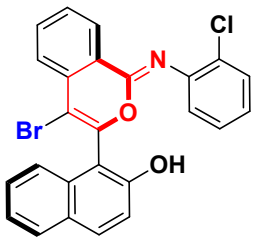
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		5.343	428.675	2384.603	48.12	61.41	n.a.
2		8.830	462.165	1498.696	51.88	38.59	n.a.
Total:			**890.839**	**3883.299**	**100.00**	**100.00**	



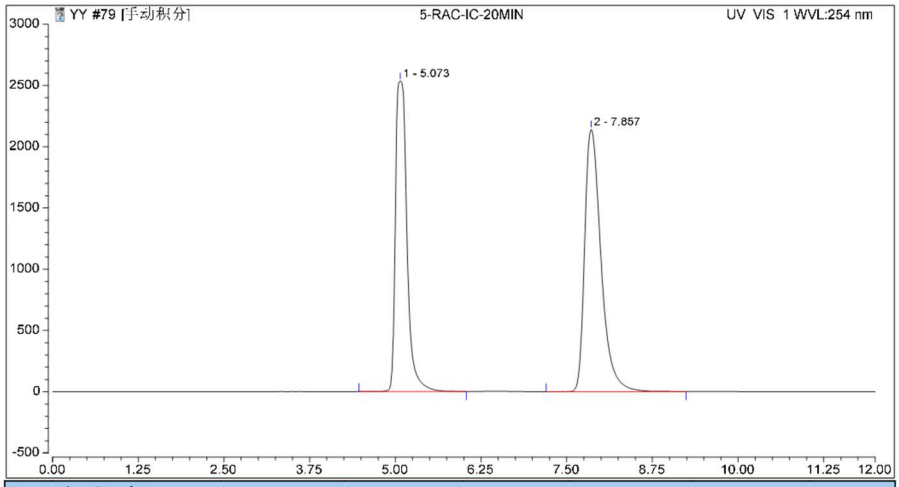
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		5.353	6.596	39.731	5.05	8.86	n.a.
2		8.877	124.052	408.871	94.95	91.14	n.a.
Total:			**130.648**	**448.602**	**100.00**	**100.00**	



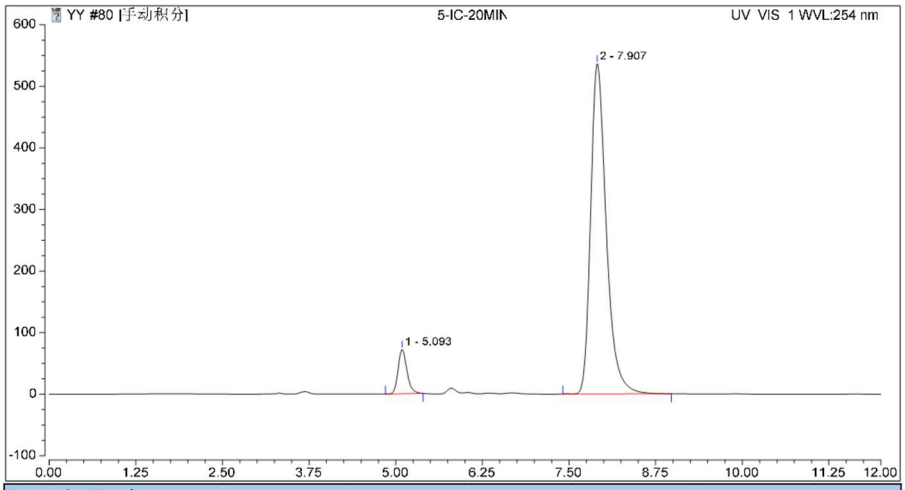
5d

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



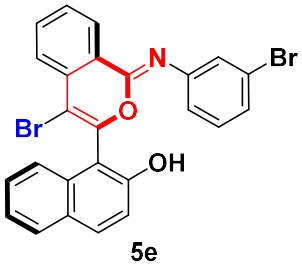
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		5.073	492.939	2535.094	45.41	54.20	n.a.
2		7.857	592.700	2142.524	54.59	45.80	n.a.
Total:			**1085.639**	**4677.618**	**100.00**	**100.00**	



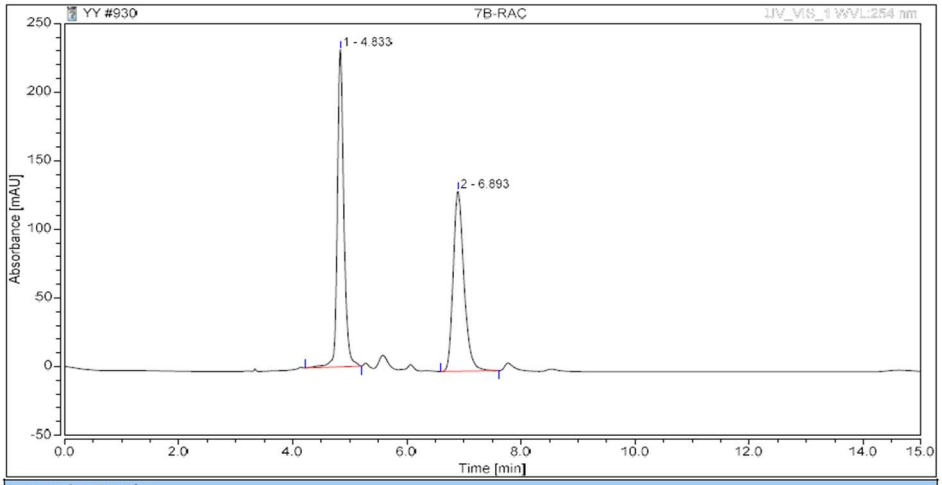
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		5.093	10.630	72.223	7.06	11.87	n.a.
2		7.907	140.027	536.373	92.94	88.13	n.a.
Total:			**150.657**	**608.596**	**100.00**	**100.00**	



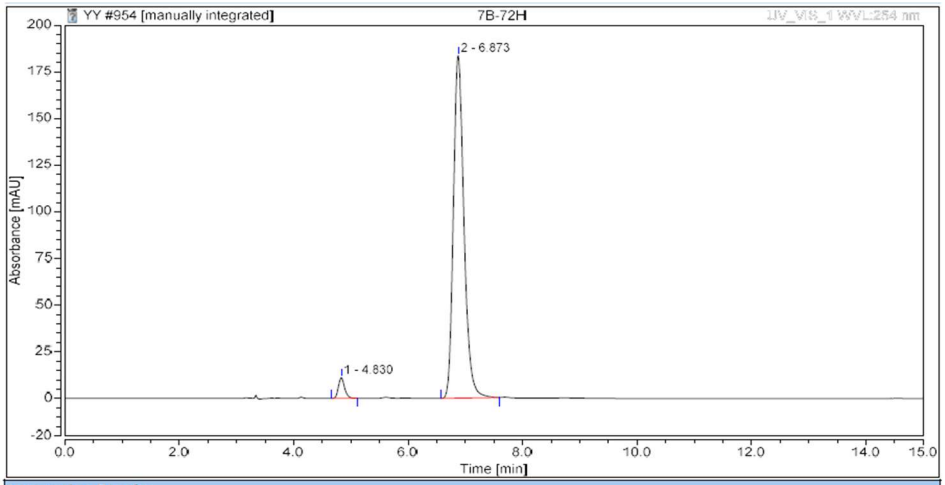
5e

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



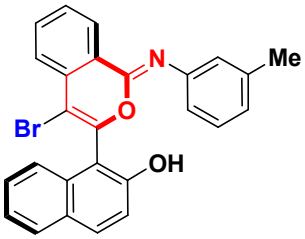
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.833	30.347	231.313	51.38	63.81	n.a.
2		6.893	28.722	131.192	48.62	36.19	n.a.
Total:			**59.068**	**362.505**	**100.00**	**100.00**	



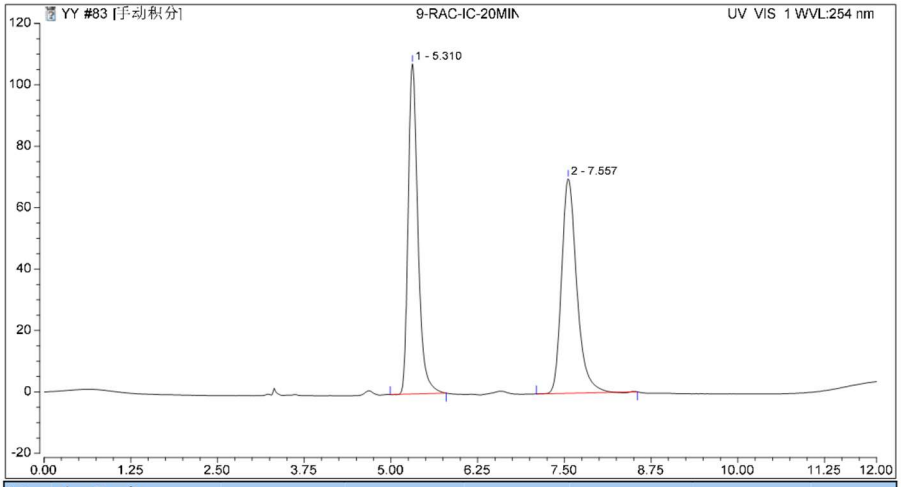
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.830	1.386	11.237	3.41	5.76	n.a.
2		6.873	39.224	183.747	96.59	94.24	n.a.
Total:			**40.610**	**194.984**	**100.00**	**100.00**	



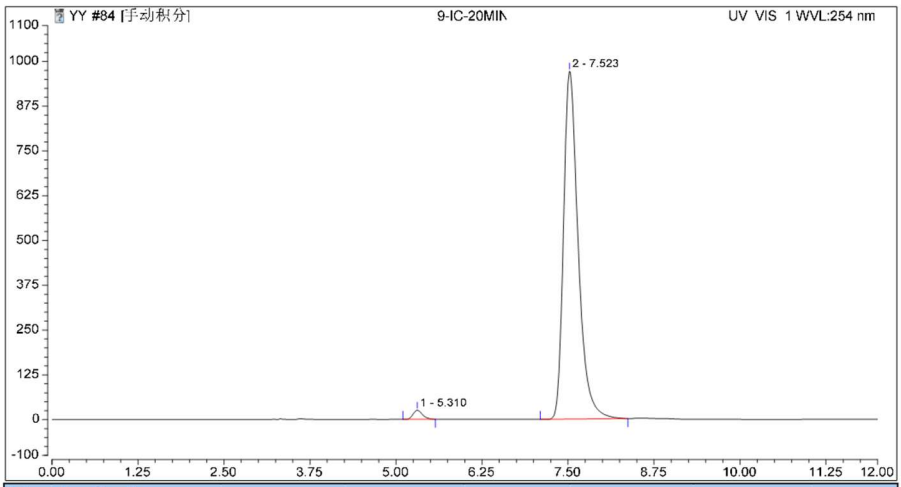
5f

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



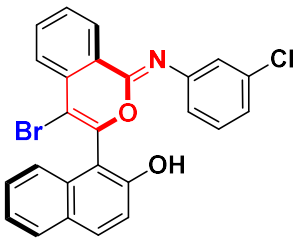
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		5.310	17.562	107.589	49.74	60.61	n.a.
2		7.557	17.748	69.931	50.26	39.39	n.a.
Total:			**35.310**	**177.520**	**100.00**	**100.00**	



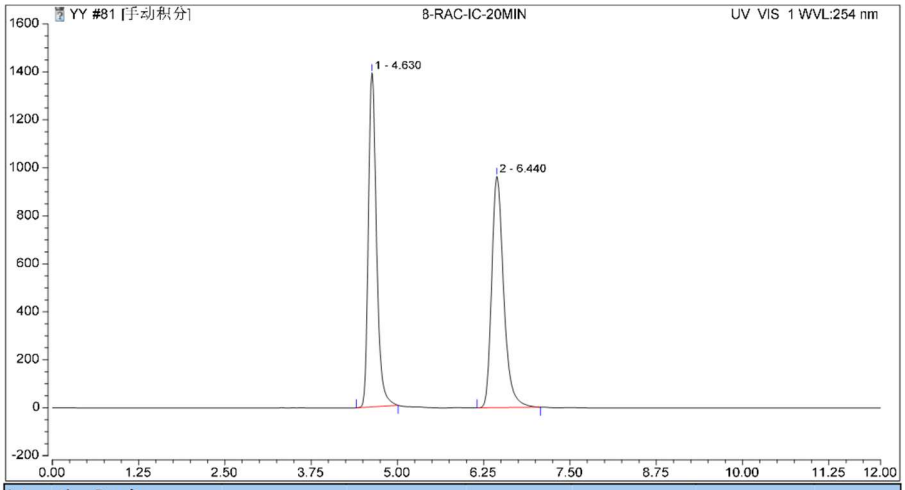
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		5.310	4.015	25.163	1.65	2.52	n.a.
2		7.523	239.611	971.383	98.35	97.48	n.a.
Total:			**243.626**	**996.546**	**100.00**	**100.00**	



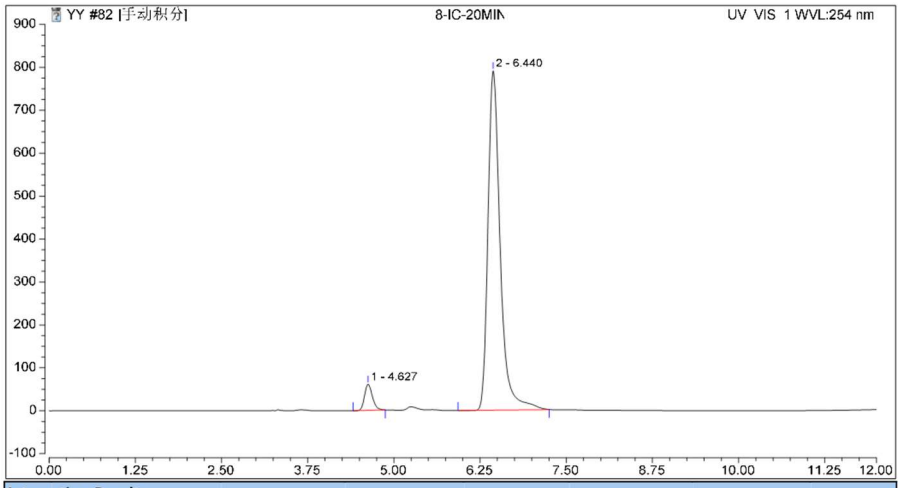
5g

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



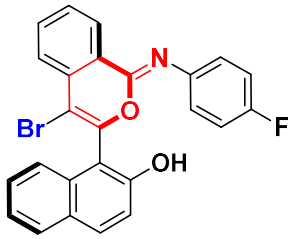
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		4.630	190.629	1392.541	49.52	59.08	n.a.
2		6.440	194.288	964.487	50.48	40.92	n.a.
Total:			**384.917**	**2357.027**	**100.00**	**100.00**	



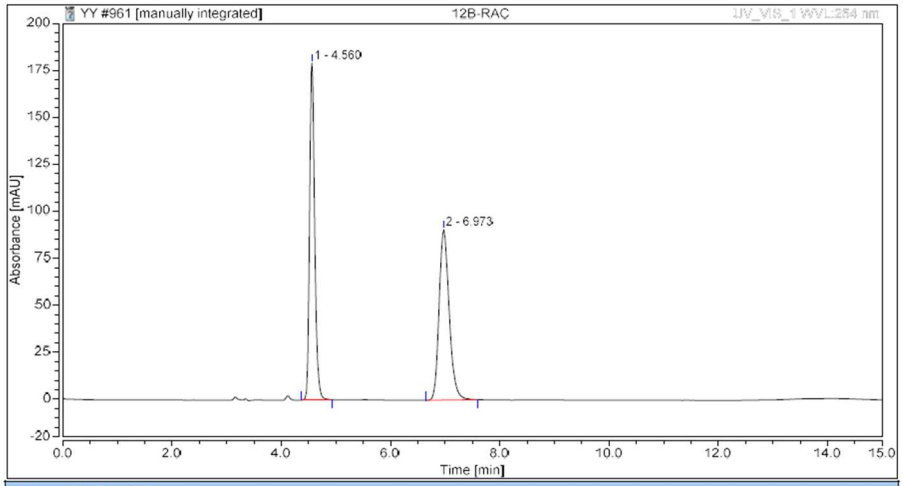
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		4.627	8.061	60.919	4.72	7.15	n.a.
2		6.440	162.866	790.744	95.28	92.85	n.a.
Total:			**170.927**	**851.663**	**100.00**	**100.00**	



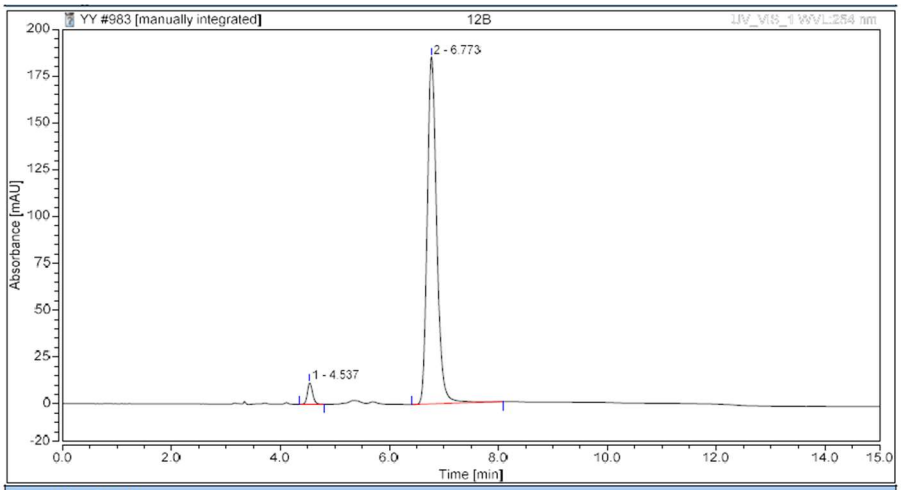
5h

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



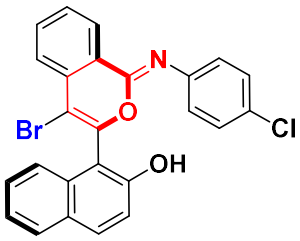
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.560	19.406	179.419	50.04	66.38	n.a.
2		6.973	19.378	90.862	49.96	33.62	n.a.
Total:			**38.785**	**270.281**	**100.00**	**100.00**	



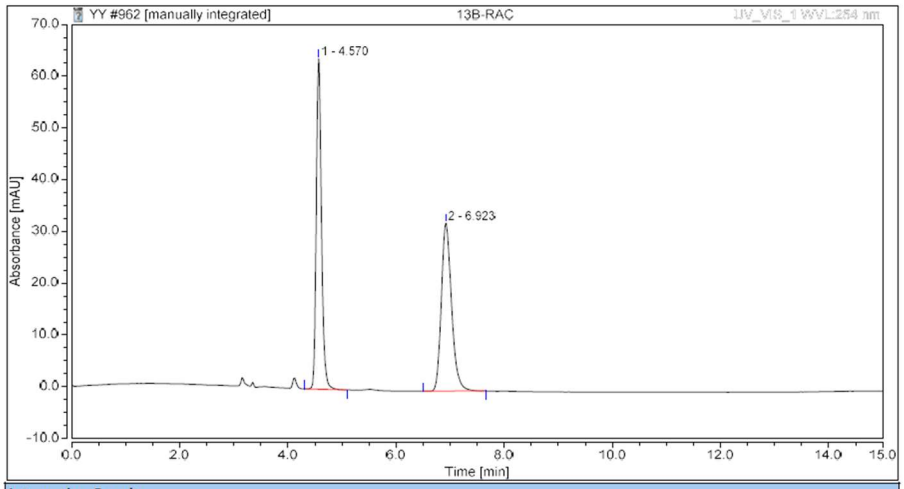
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.537	1.262	11.670	3.26	5.92	n.a.
2		6.773	37.425	185.395	96.74	94.08	n.a.
Total:			**38.687**	**197.064**	**100.00**	**100.00**	



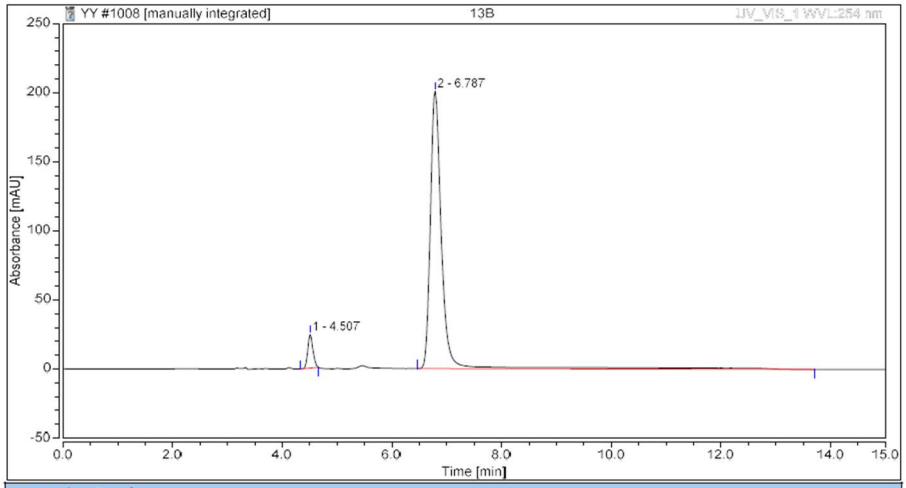
5i

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



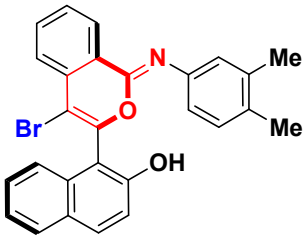
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.570	7.149	63.969	50.17	66.31	n.a.
2		6.923	7.100	32.503	49.83	33.69	n.a.
Total:			**14.249**	**96.472**	**100.00**	**100.00**	



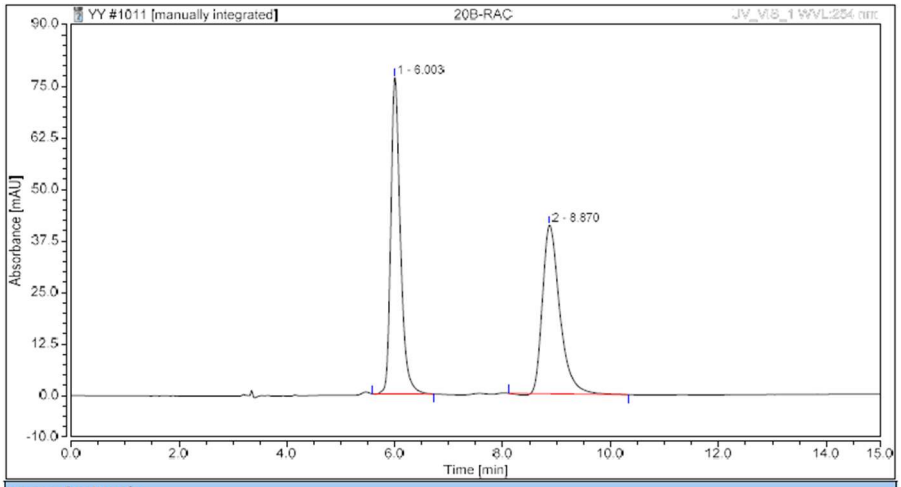
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.507	2.647	24.546	4.96	10.88	n.a.
2		6.787	50.665	201.068	95.04	89.12	n.a.
Total:			**53.312**	**225.614**	**100.00**	**100.00**	



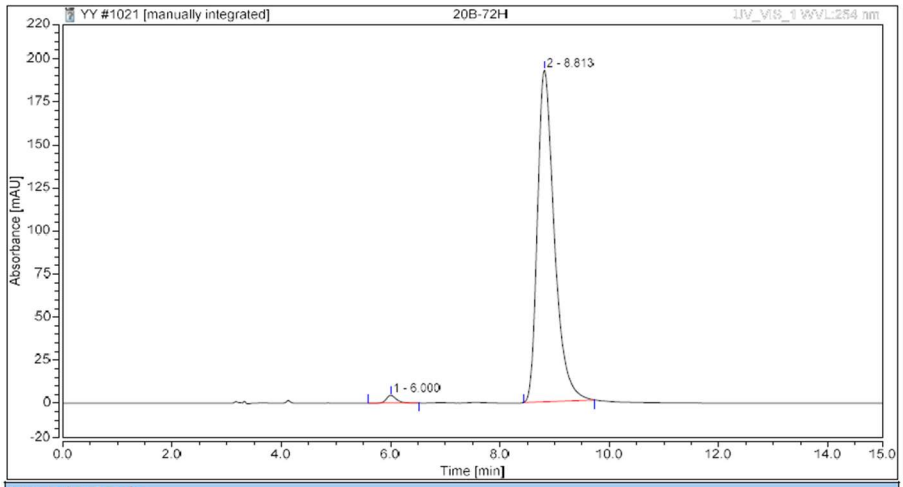
5j

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



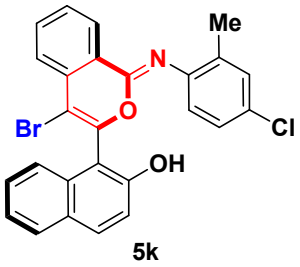
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.003	15.795	76.727	51.08	65.22	n.a.
2		8.870	15.124	40.919	48.92	34.78	n.a.
Total:			**30.920**	**117.646**	**100.00**	**100.00**	



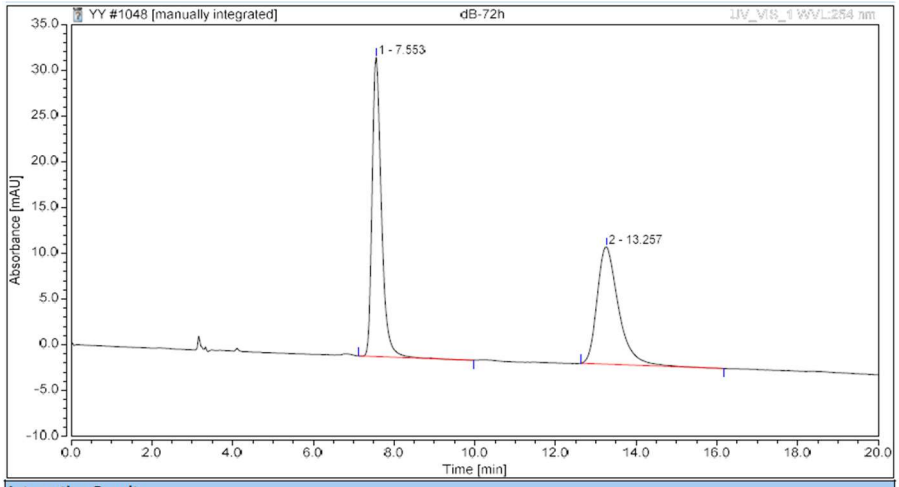
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		6.000	0.927	4.454	1.33	2.26	n.a.
2		8.813	68.987	192.723	98.67	97.74	n.a.
Total:			**69.914**	**197.177**	**100.00**	**100.00**	



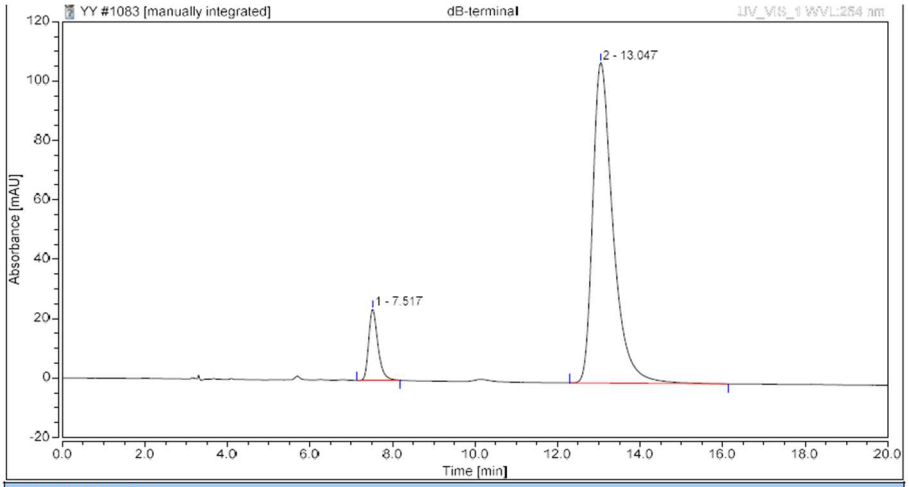
5k

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



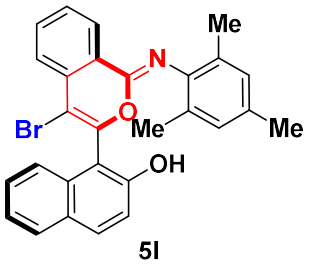
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.553	8.638	32.719	52.30	71.83	n.a.
2		13.257	7.877	12.831	47.70	28.17	n.a.
Total:			**16.515**	**45.550**	**100.00**	**100.00**	



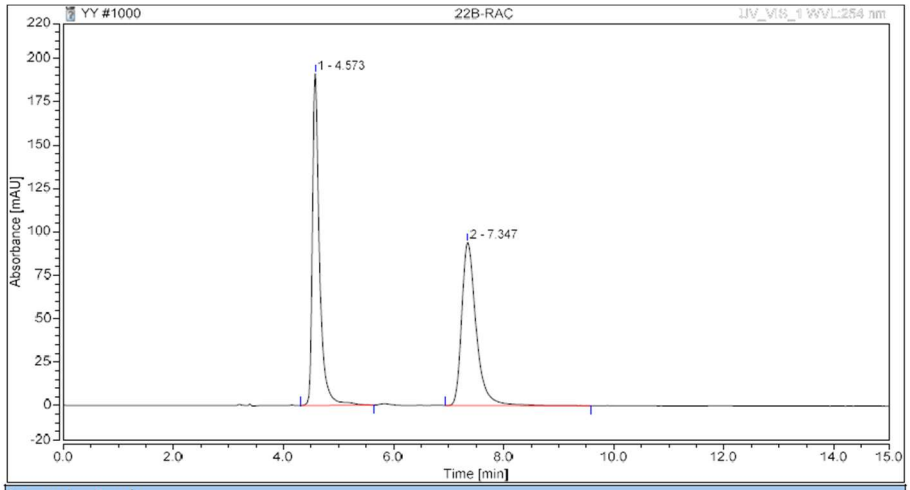
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		7.517	6.177	23.993	9.11	18.19	n.a.
2		13.047	61.653	107.933	90.89	81.81	n.a.
Total:			**67.830**	**131.926**	**100.00**	**100.00**	

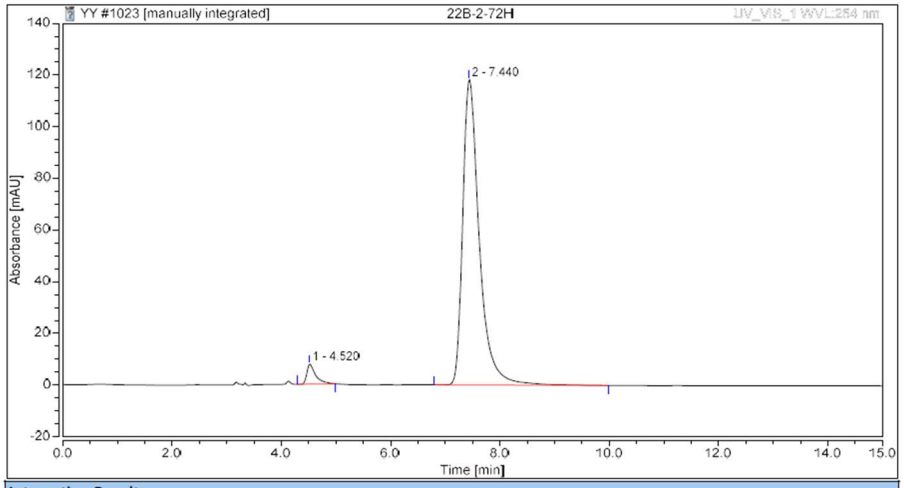


5l

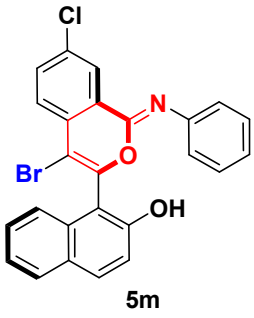
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.573	28.642	191.295	50.16	67.02	n.a.
2		7.347	28.459	94.150	49.84	32.98	n.a.
Total:			**57.101**	**285.445**	**100.00**	**100.00**	

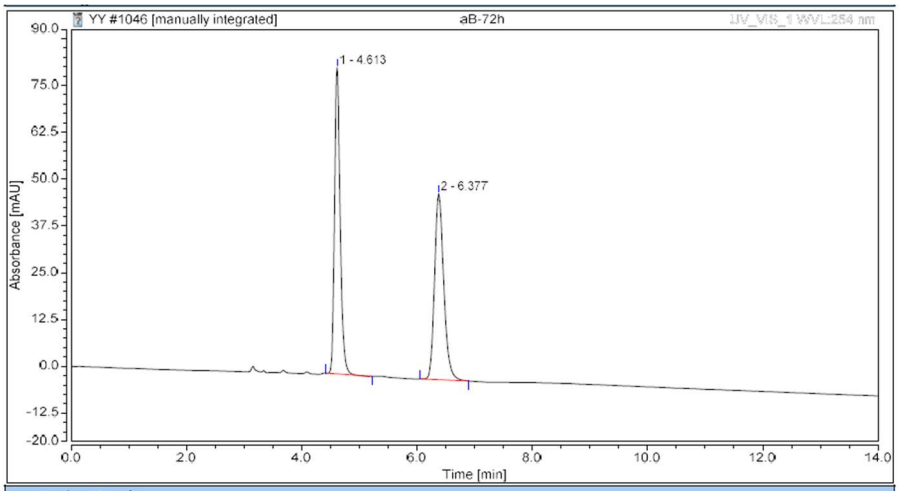


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.520	1.437	7.835	3.35	6.20	n.a.
2		7.440	41.526	118.453	96.65	93.80	n.a.
Total:			**42.963**	**126.288**	**100.00**	**100.00**	



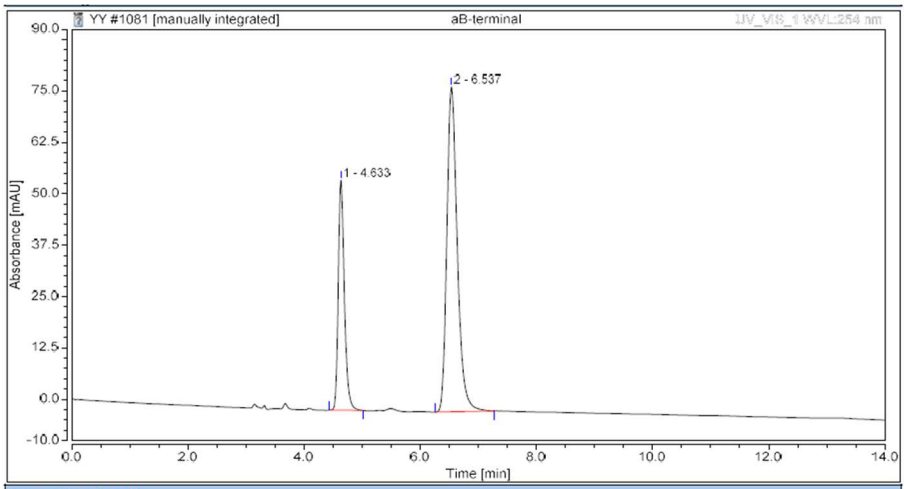
5m

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



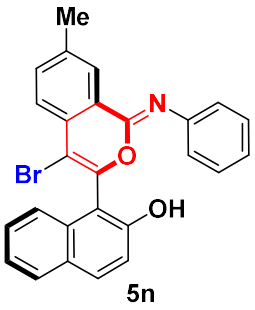
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.613	9.244	81.888	50.08	62.20	n.a.
2		6.377	9.213	49.758	49.92	37.80	n.a.
Total:			**18.457**	**131.646**	**100.00**	**100.00**	



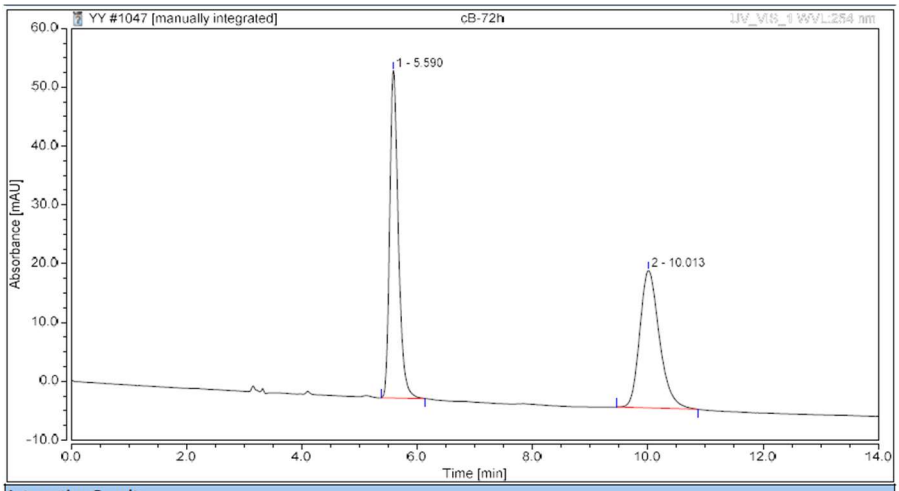
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		4.633	6.807	56.026	29.32	41.46	n.a.
2		6.537	16.407	79.098	70.68	58.54	n.a.
Total:			**23.214**	**135.124**	**100.00**	**100.00**	

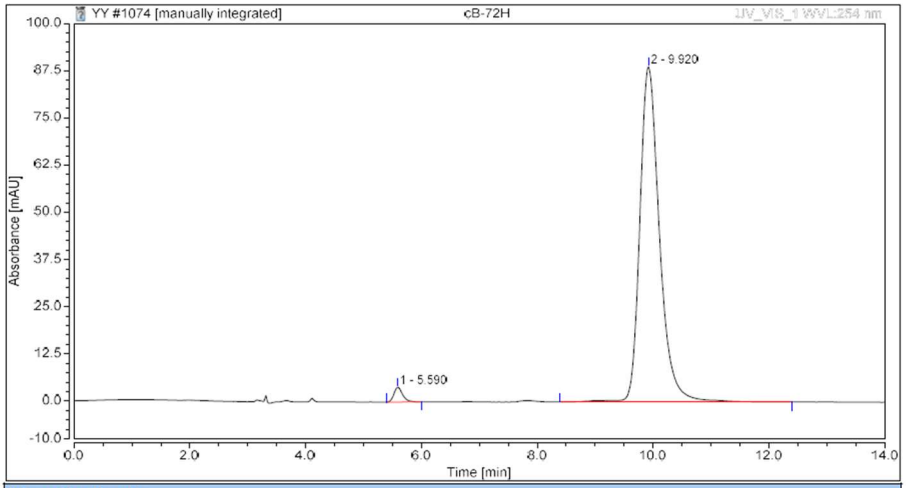


5n

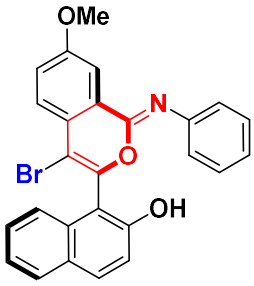
HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.590	9.658	55.738	51.18	70.44	n.a.
2		10.013	9.213	23.388	48.82	29.56	n.a.
Total:			**18.871**	**79.127**	**100.00**	**100.00**	

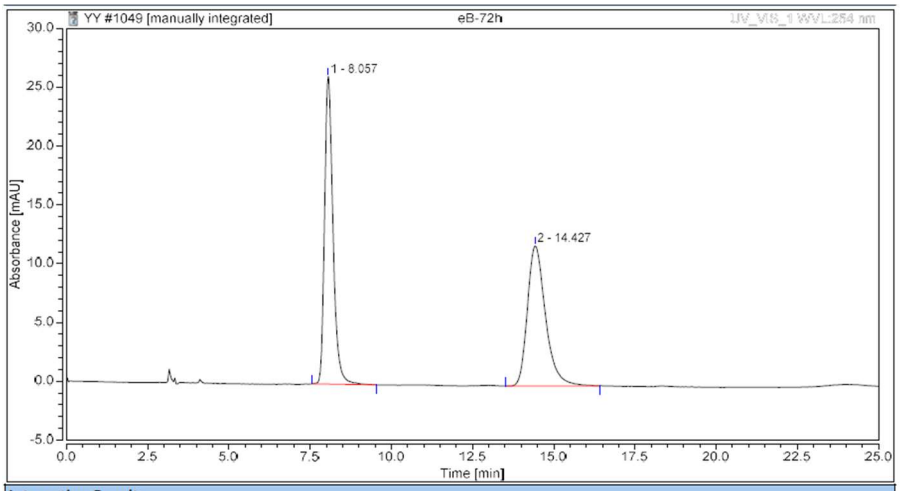


Integration Results							
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.590	0.684	3.914	1.91	4.22	n.a.
2		9.920	35.088	88.911	98.09	95.78	n.a.
Total:			**35.772**	**92.826**	**100.00**	**100.00**	



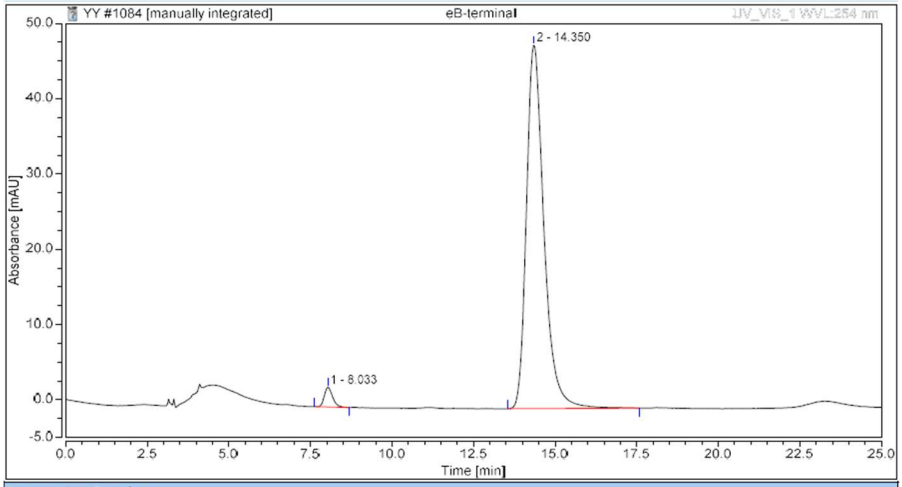
5o

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



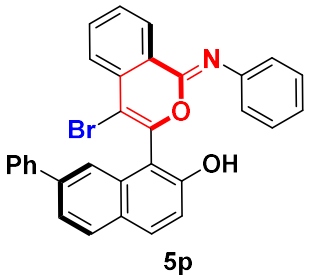
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.057	7.872	26.173	50.52	68.69	n.a.
2		14.427	7.710	11.931	49.48	31.31	n.a.
Total:			**15.581**	**38.104**	**100.00**	**100.00**	



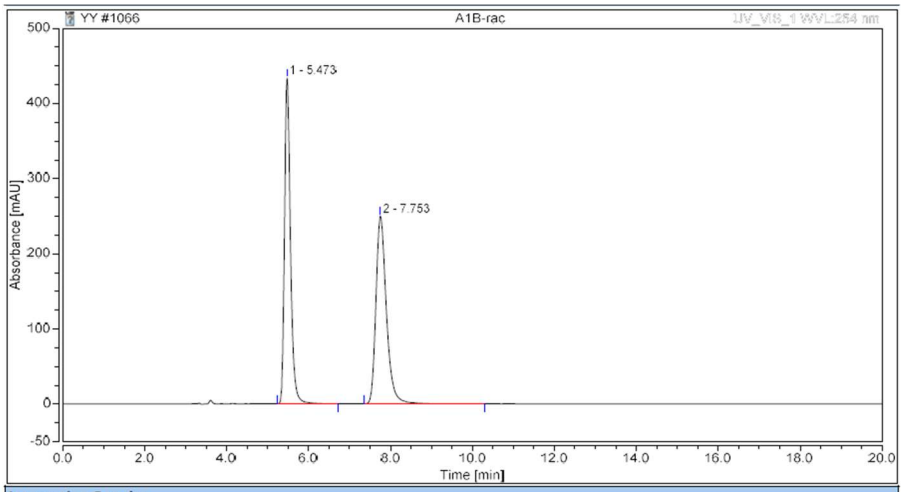
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		8.033	0.766	2.636	2.48	5.17	n.a.
2		14.350	30.085	48.377	97.52	94.83	n.a.
Total:			**30.851**	**51.013**	**100.00**	**100.00**	



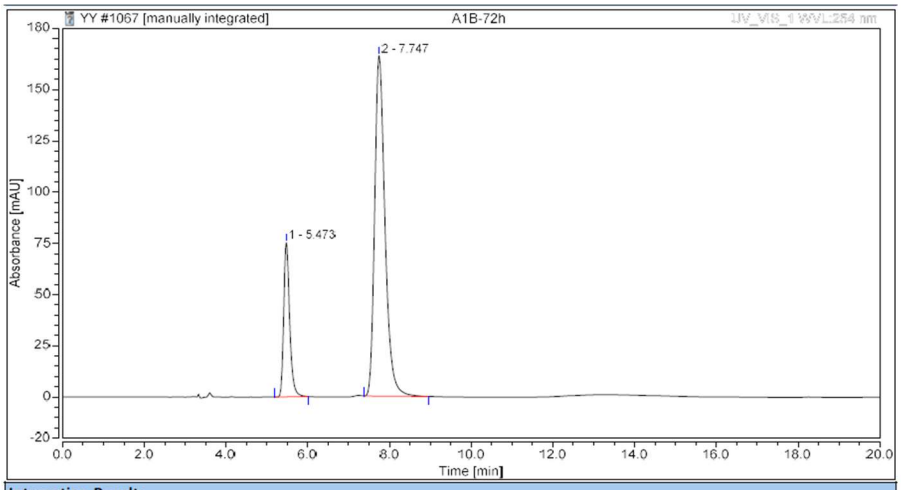
5p

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



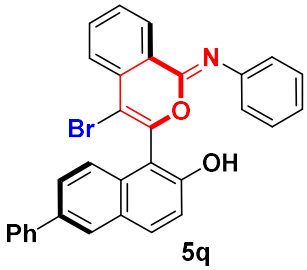
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.473	73.580	434.088	49.93	63.42	n.a.
2		7.753	73.772	250.386	50.07	36.58	n.a.
Total:			**147.351**	**684.474**	**100.00**	**100.00**	



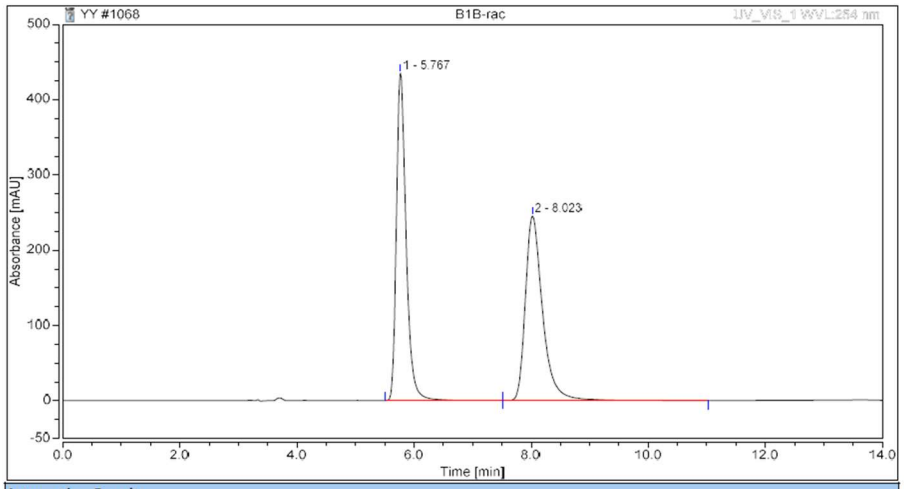
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.473	12.831	75.406	20.90	31.21	n.a.
2		7.747	48.574	166.229	79.10	68.79	n.a.
Total:			**61.406**	**241.635**	**100.00**	**100.00**	



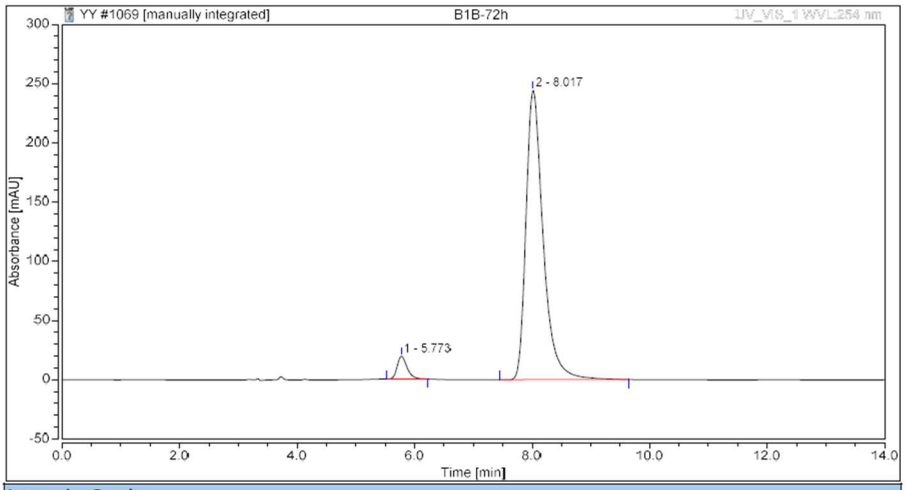
5q

HPLC analysis: Chiralcel IC-H (Hexane/*i*-PrOH = 95:5, flow rate = 1.0 mL/min)



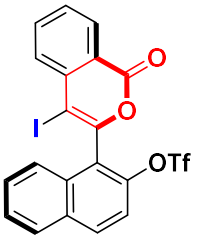
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.767	83.928	435.545	50.00	63.93	n.a.
2		8.023	83.926	245.750	50.00	36.07	n.a.
Total:			**167.854**	**681.295**	**100.00**	**100.00**	



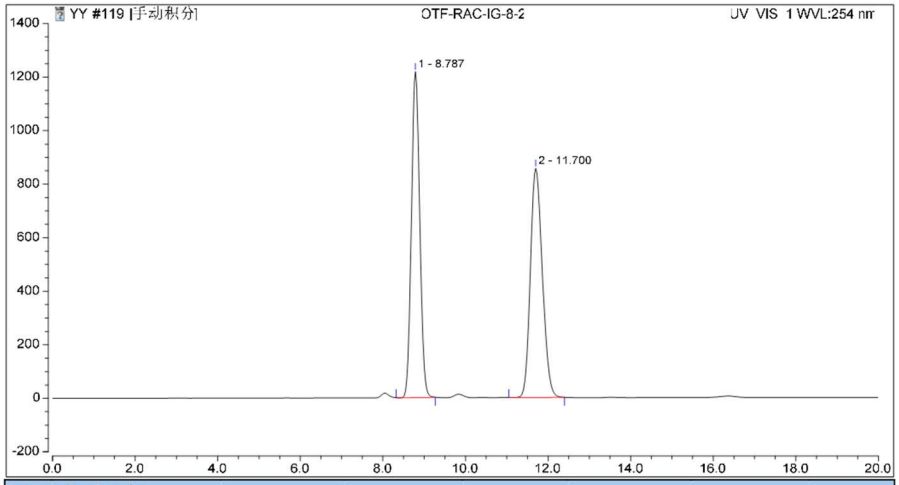
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %	Amount n.a.
1		5.773	3.774	19.367	4.36	7.34	n.a.
2		8.017	82.865	244.658	95.64	92.66	n.a.
Total:			**86.639**	**264.025**	**100.00**	**100.00**	



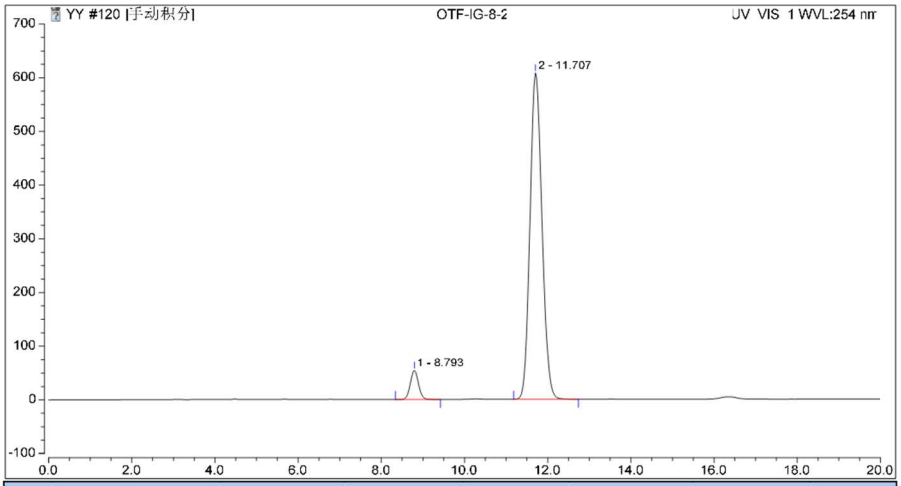
6

HPLC analysis: Chiralcel IG-H (Hexane/*i*-PrOH = 8:2, flow rate = 1.0 mL/min)



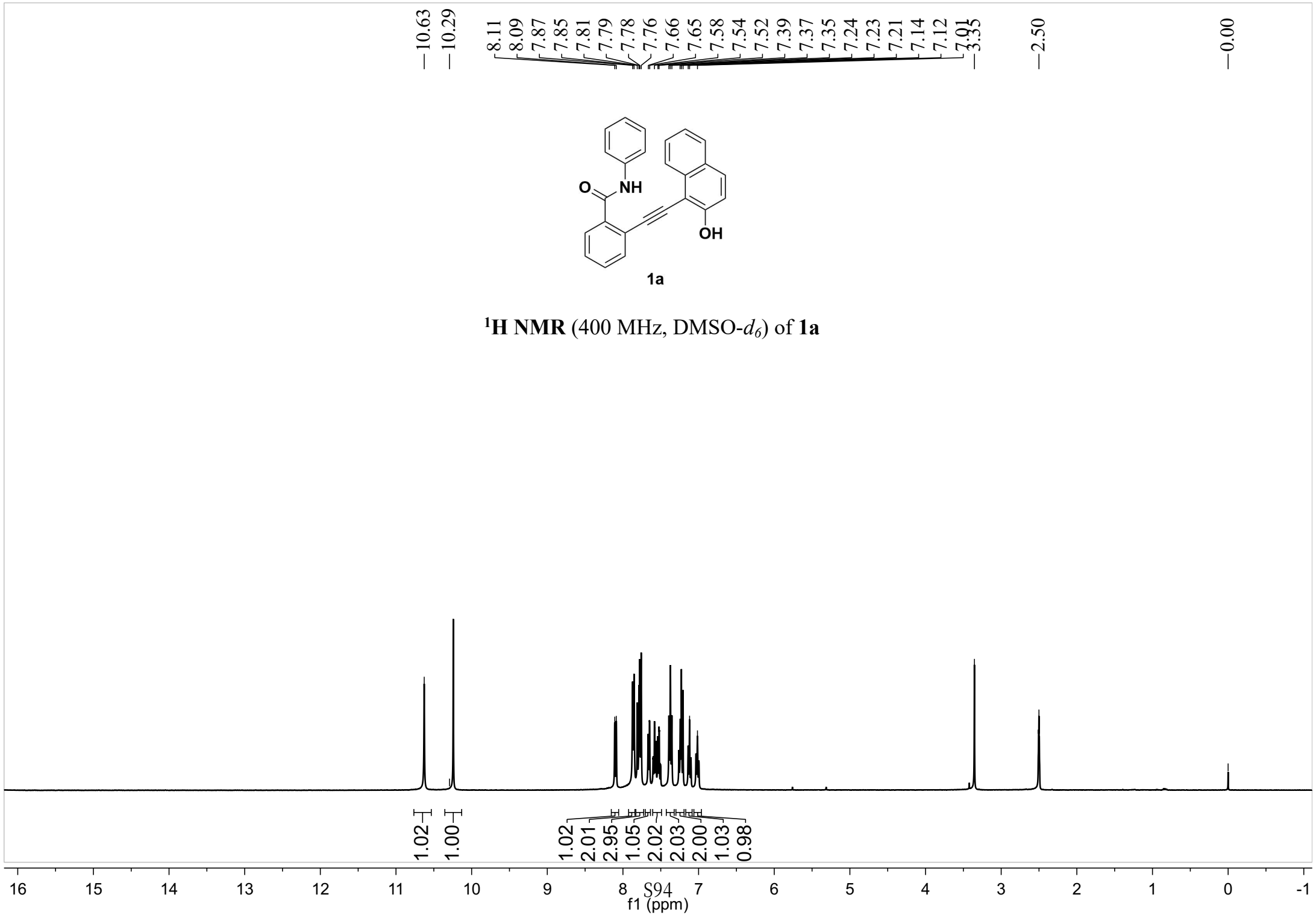
Integration-Results

No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		8.787	281.871	1217.404	49.59	58.70	n.a.
2		11.700	286.509	856.701	50.41	41.30	n.a.
Total:			**568.380**	**2074.105**	**100.00**	**100.00**	

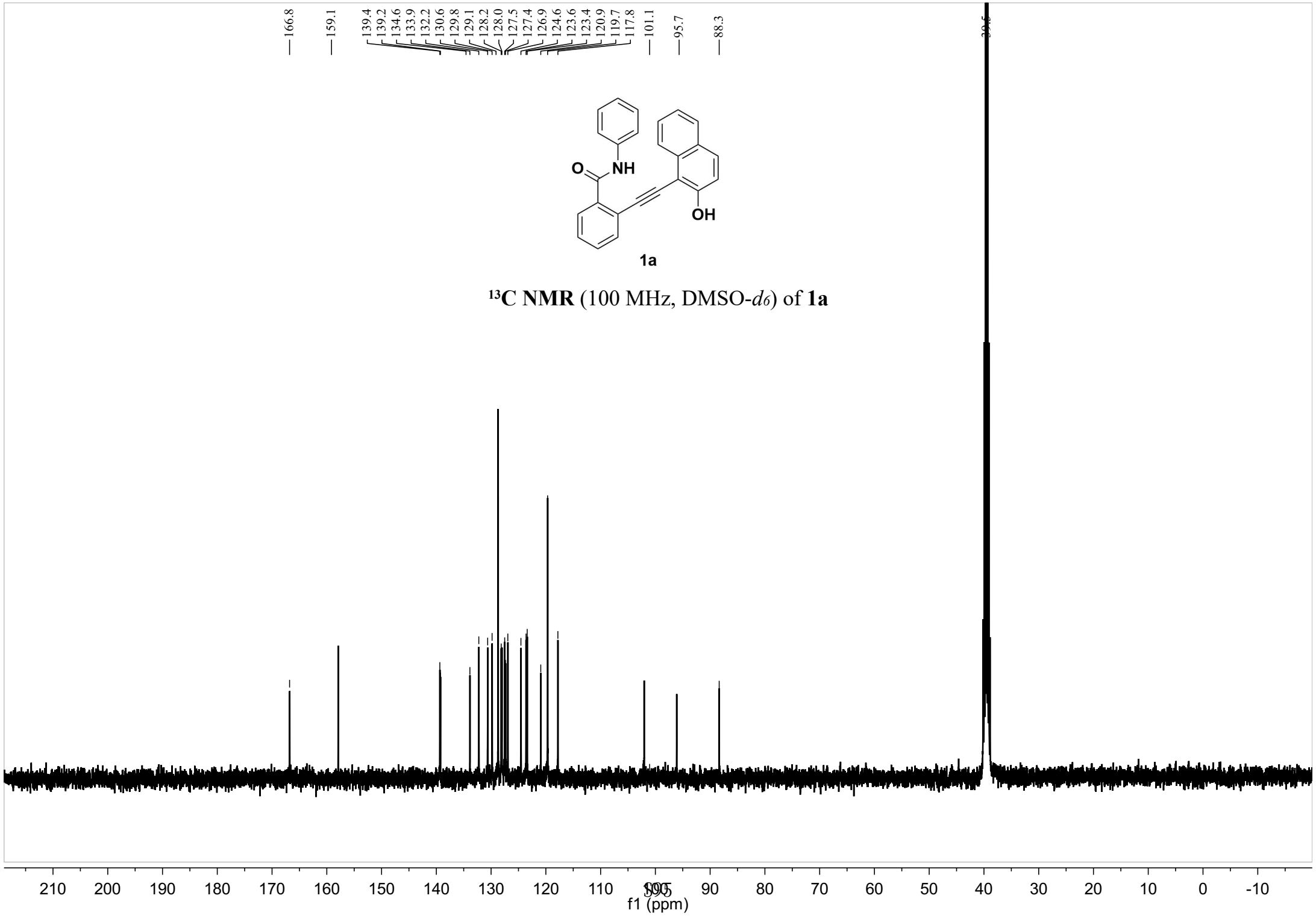


Integration-Results

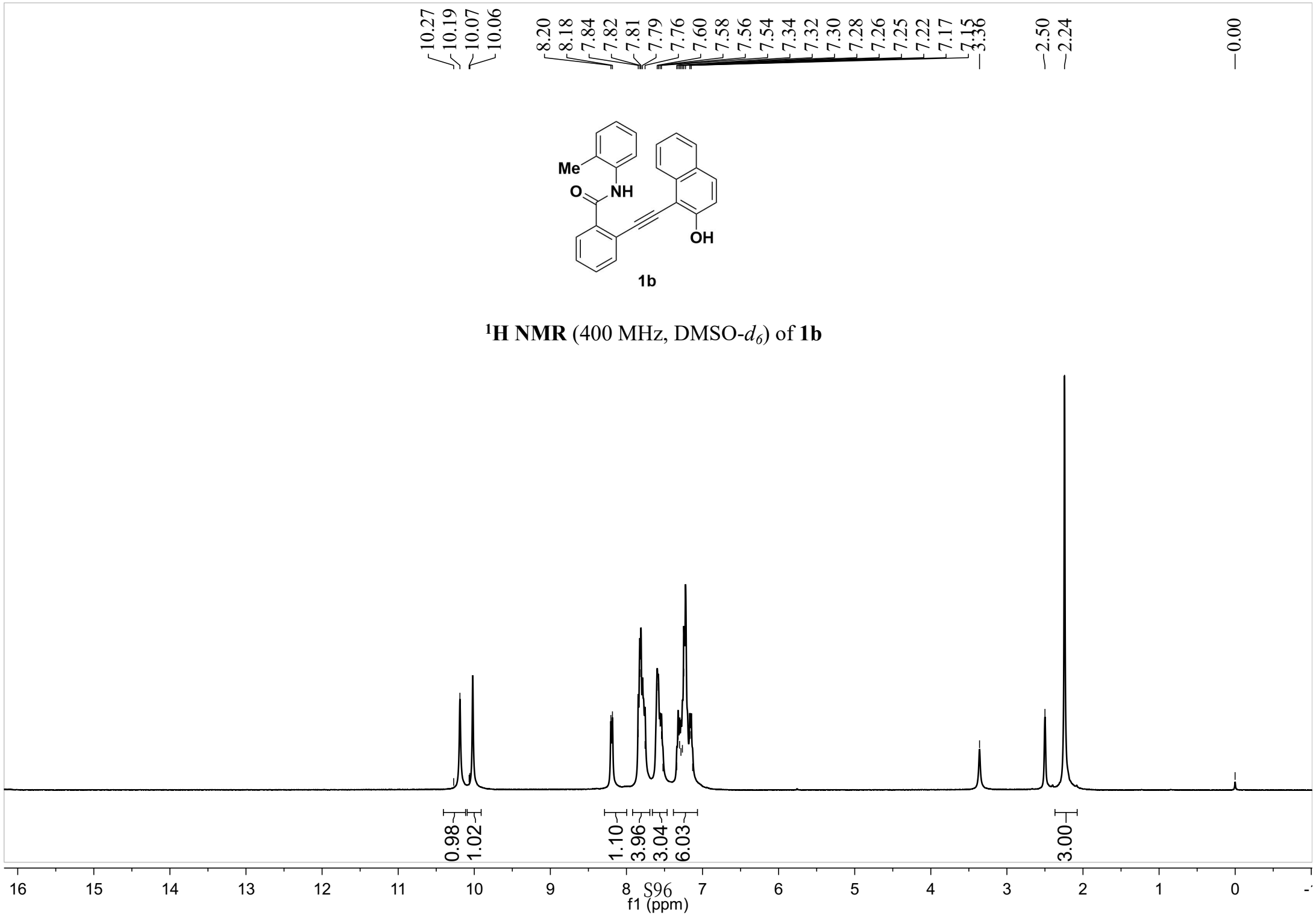
No.	PeakName	RetentionTime min	Area mAU*min	Height mAU	RelativeArea %	RelativeHeight %	Amount n.a.
1		8.793	12.355	54.181	5.76	8.18	n.a.
2		11.707	202.167	607.890	94.24	91.82	n.a.
Total:			**214.522**	**662.071**	**100.00**	**100.00**	



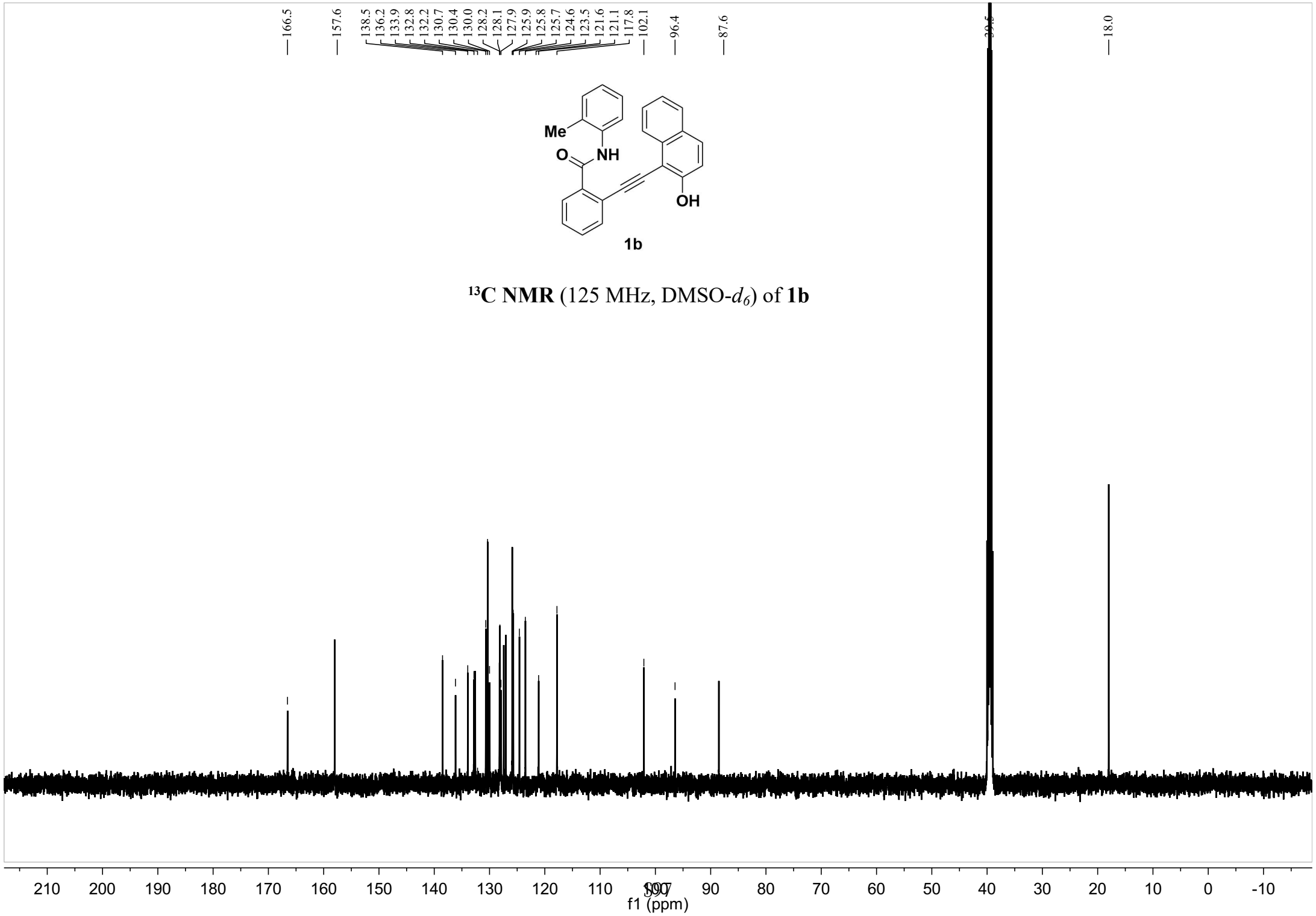
^{1}H NMR (400 MHz, DMSO-d_6) of **1a**



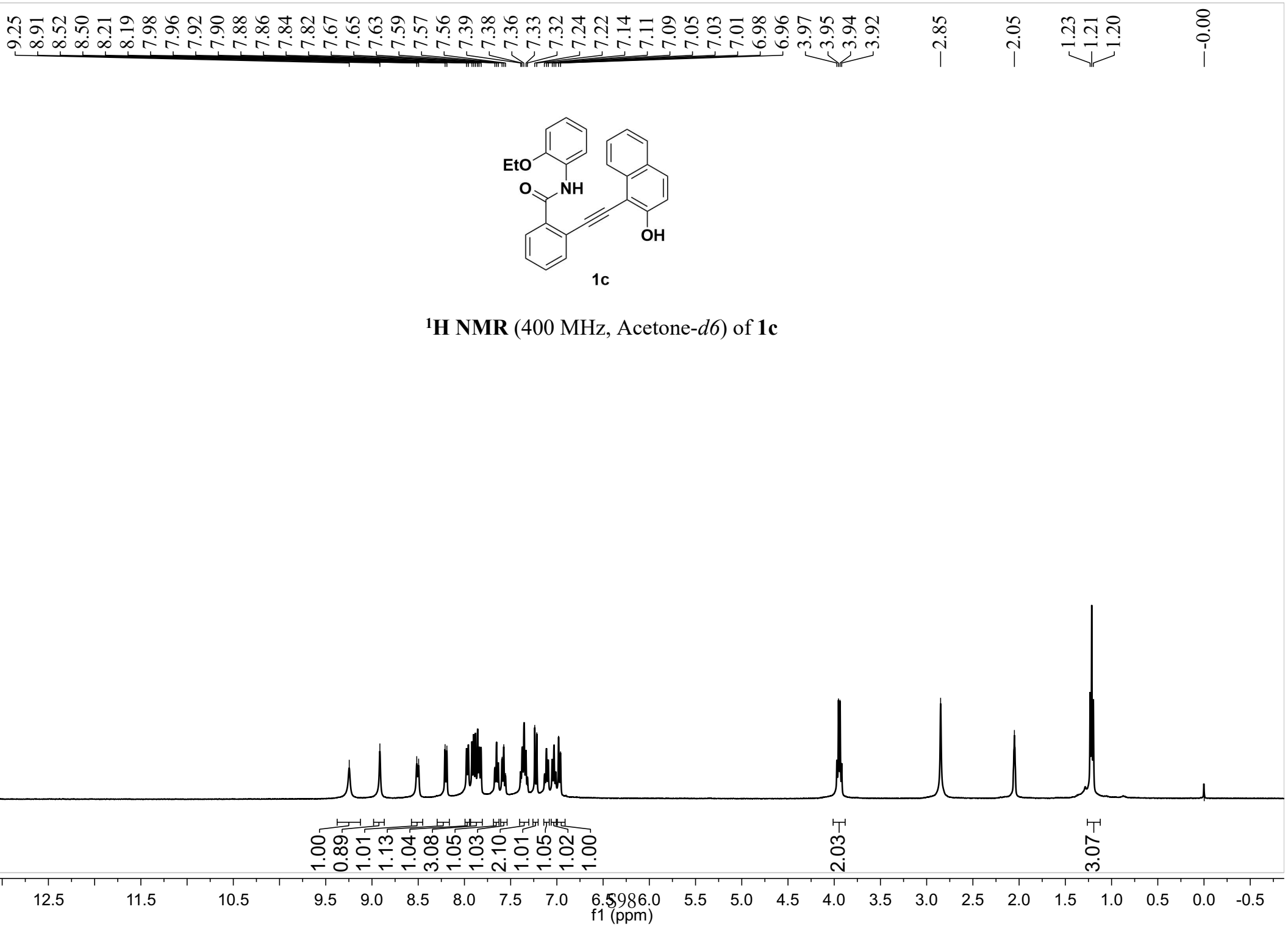
^{13}C NMR (100 MHz, DMSO-*d6*) of **1a**



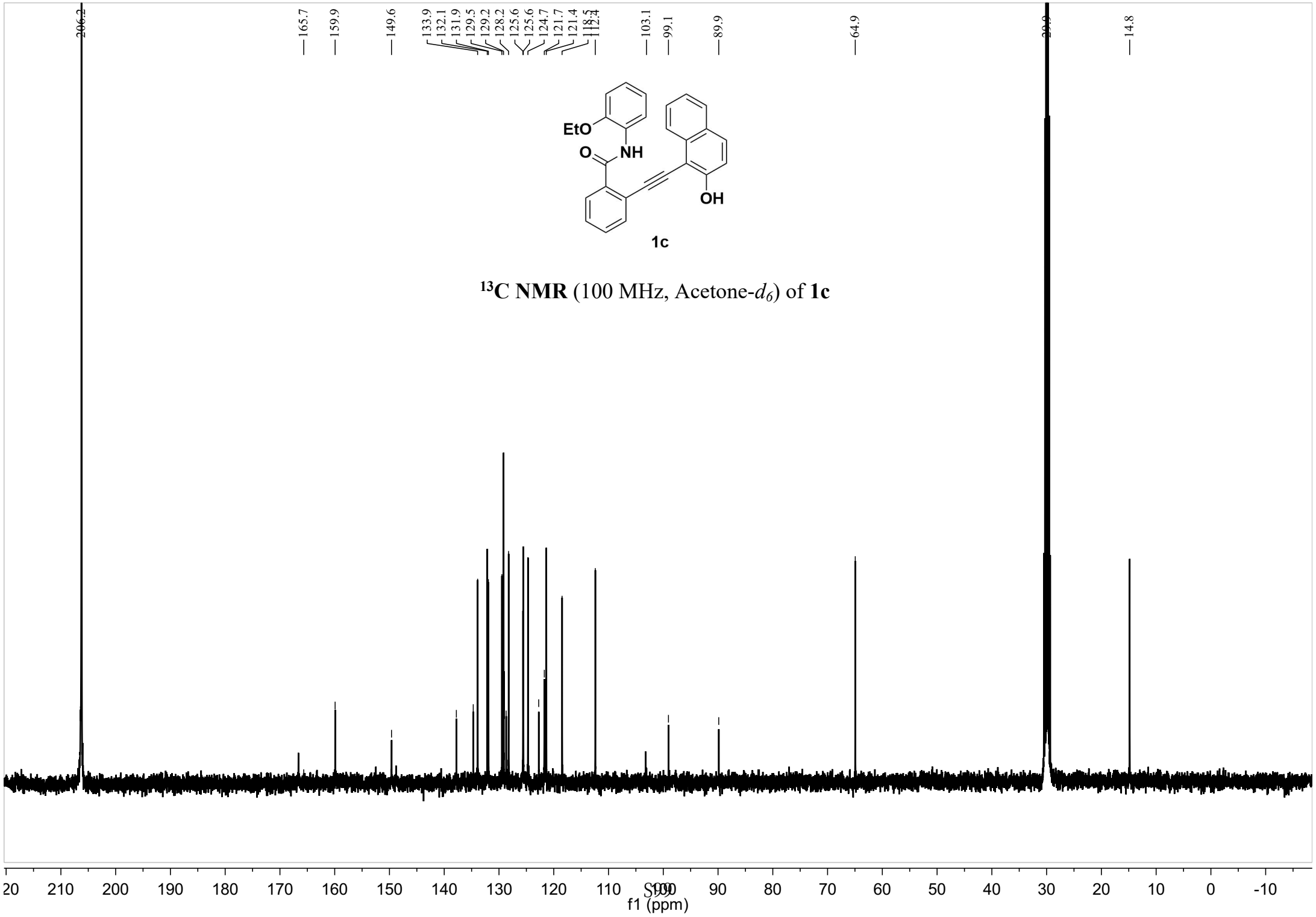
^{1}H NMR (400 MHz, DMSO-d_6) of **1b**



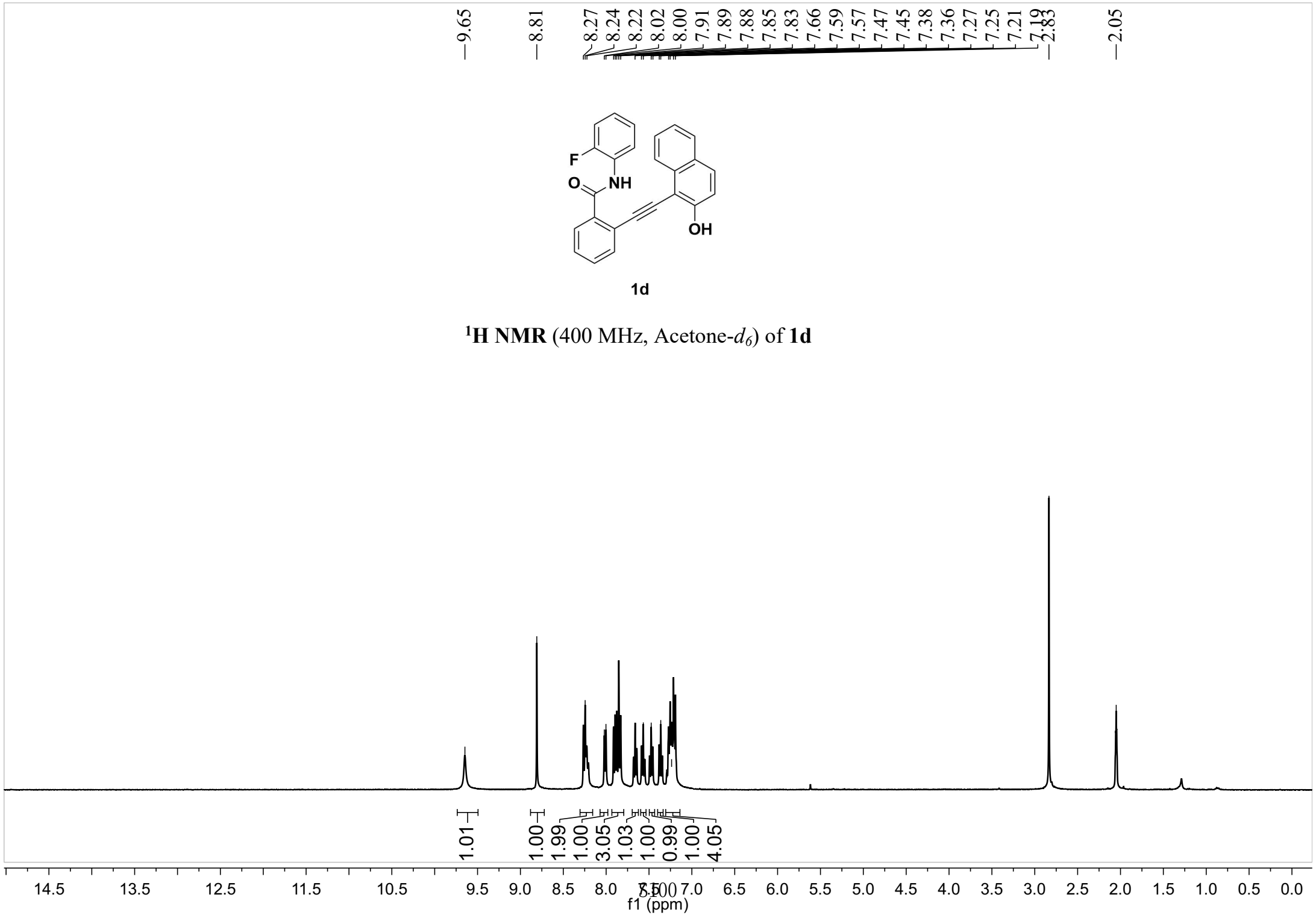
^{13}C NMR (125 MHz, DMSO-d_6) of **1b**



^{1}H NMR (400 MHz, Acetone-*d6*) of **1c**

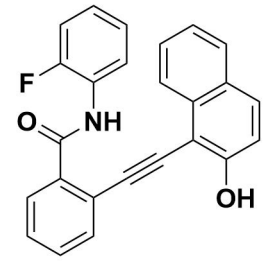


^{13}C NMR (100 MHz, Acetone-d_6) of **1c**



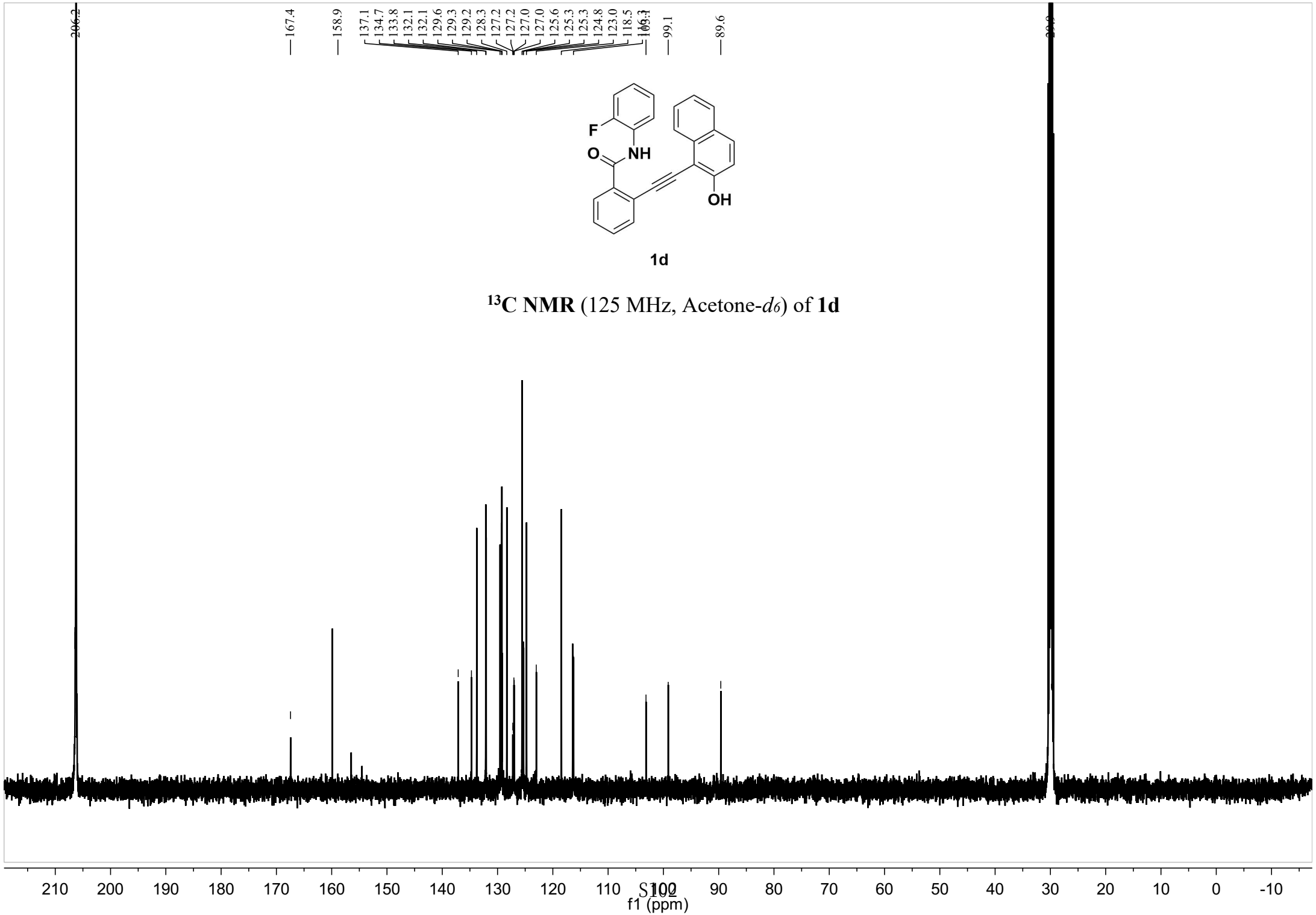
1d

^{1}H NMR (400 MHz, Acetone-d_6) of **1d**



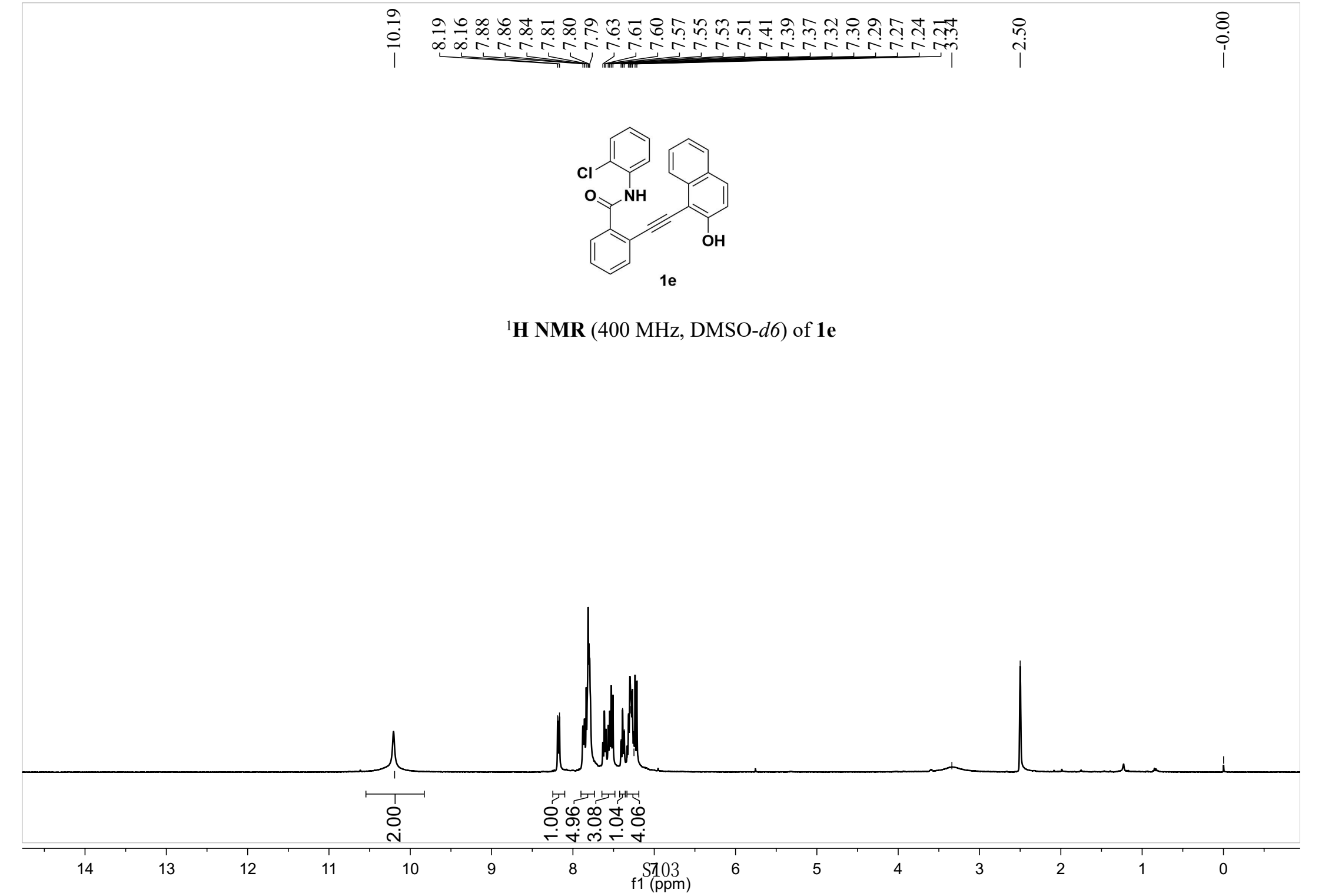
1d

^{19}**F NMR** (376 MHz, Acetone-d_6) of **1d**

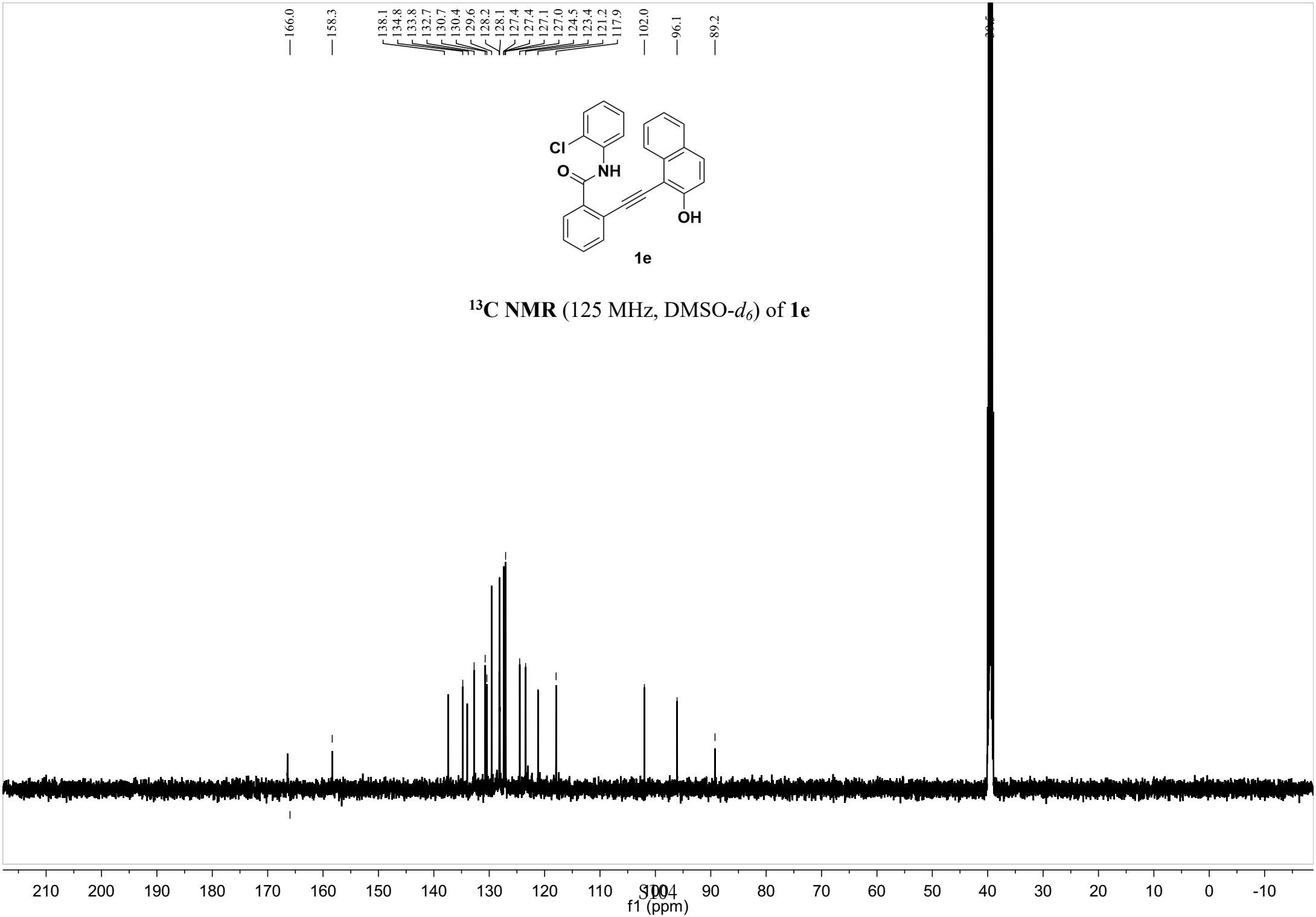


1d

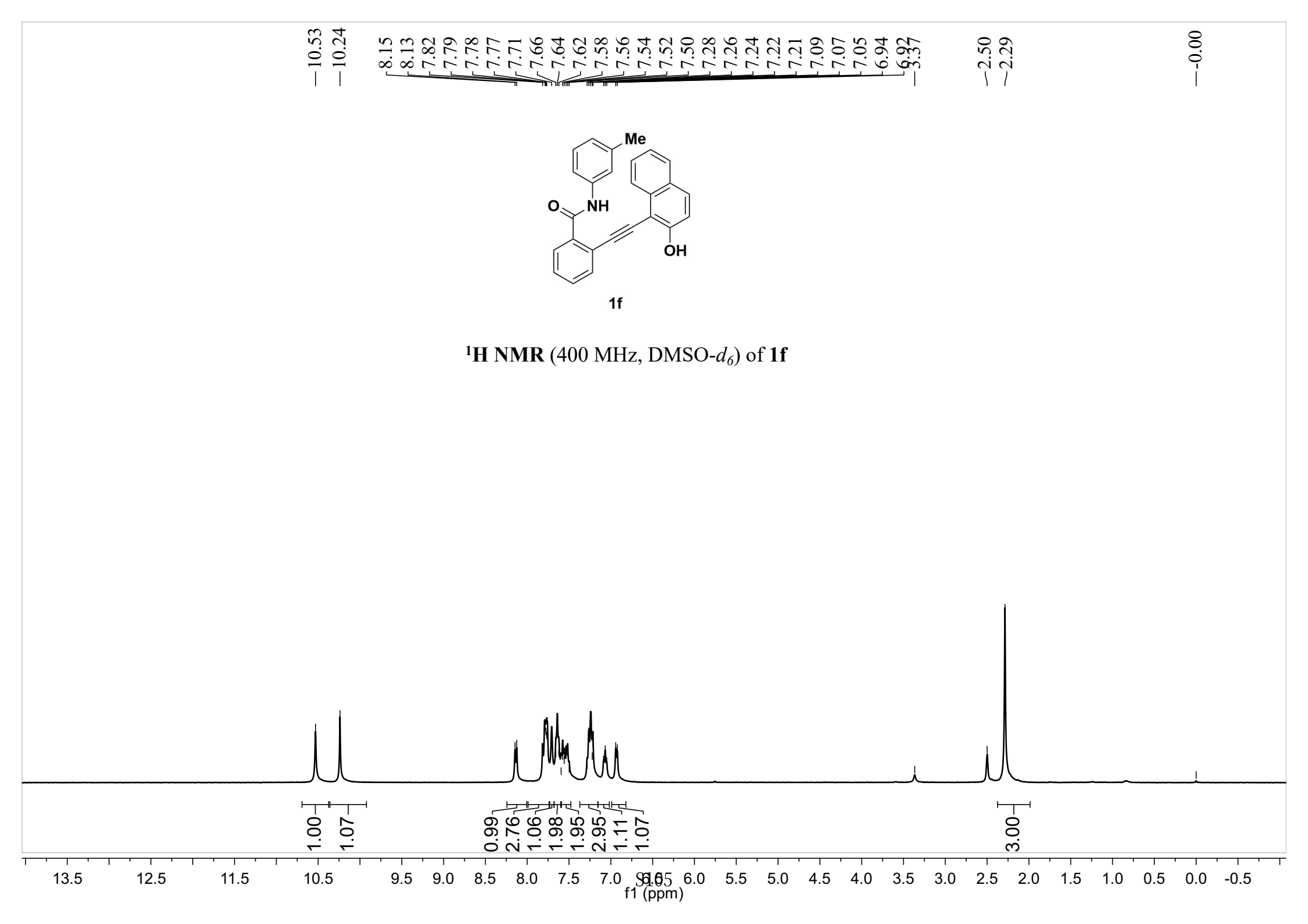
^{13}C NMR (125 MHz, Acetone-*d*$_6$) of **1d**



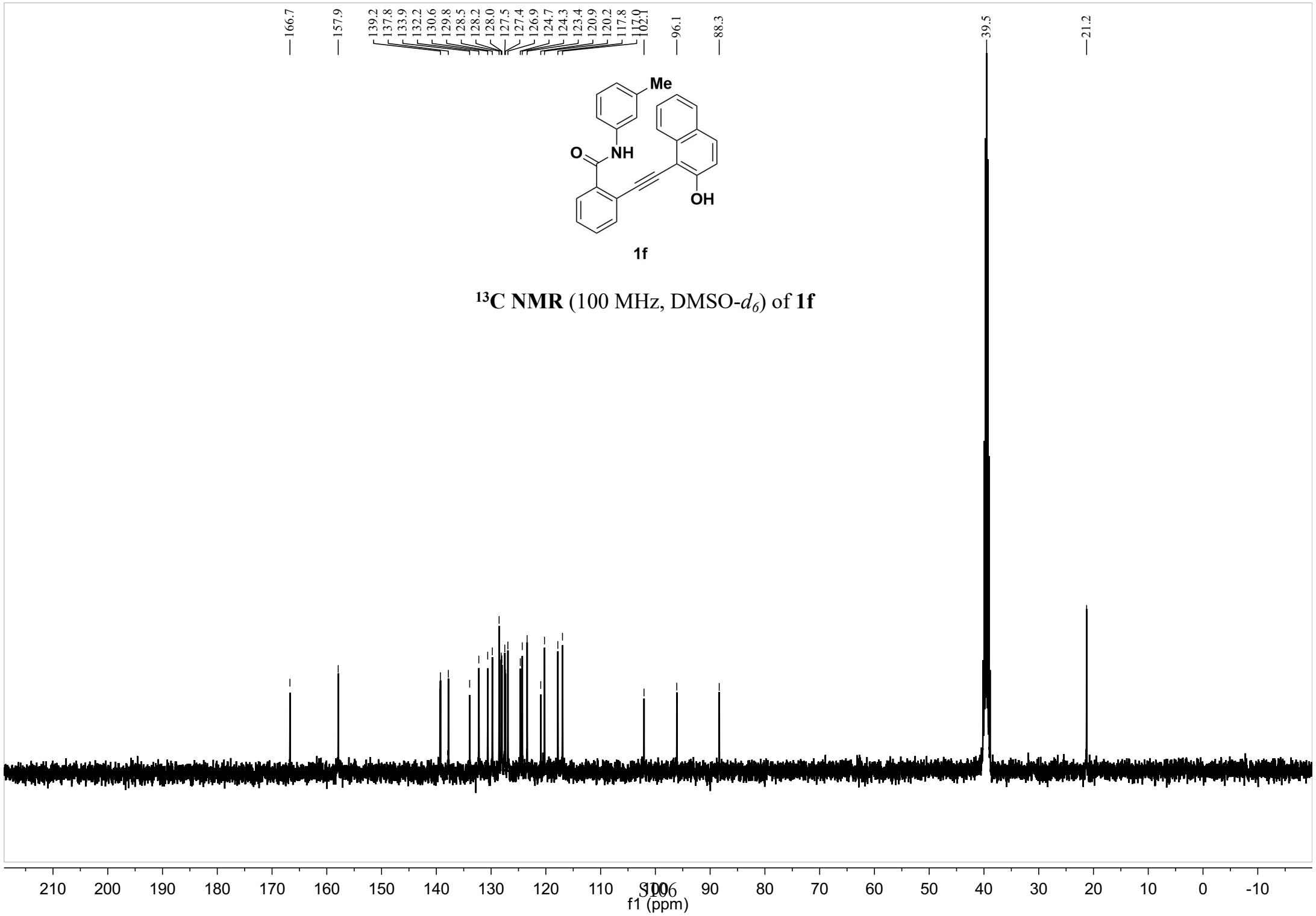
^{1}**H NMR** (400 MHz, DMSO-*d6*) of **1e**



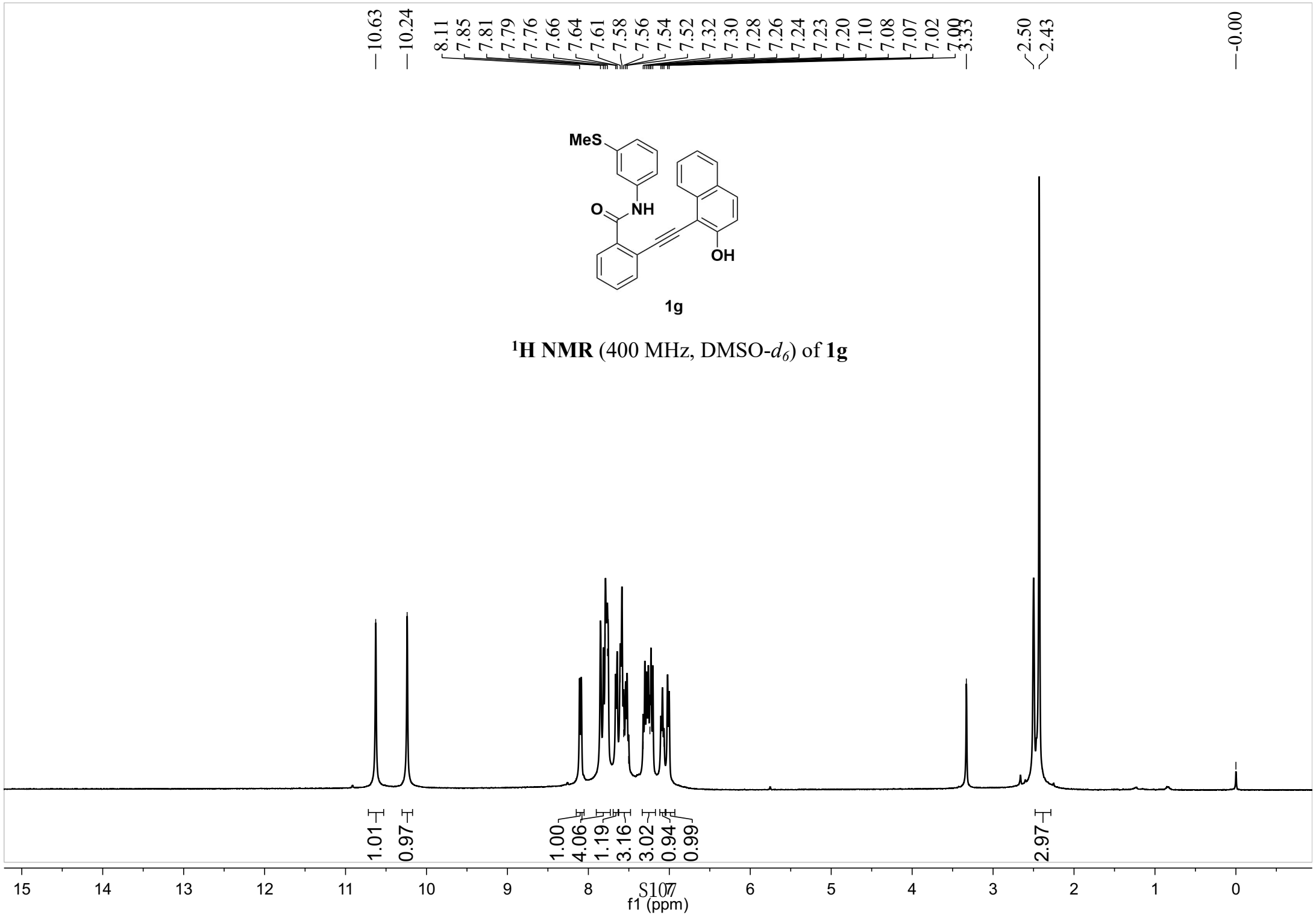
^{13}C NMR (125 MHz, DMSO-d_6) of **1e**



^{1}H NMR (400 MHz, DMSO-d_6) of **1f**

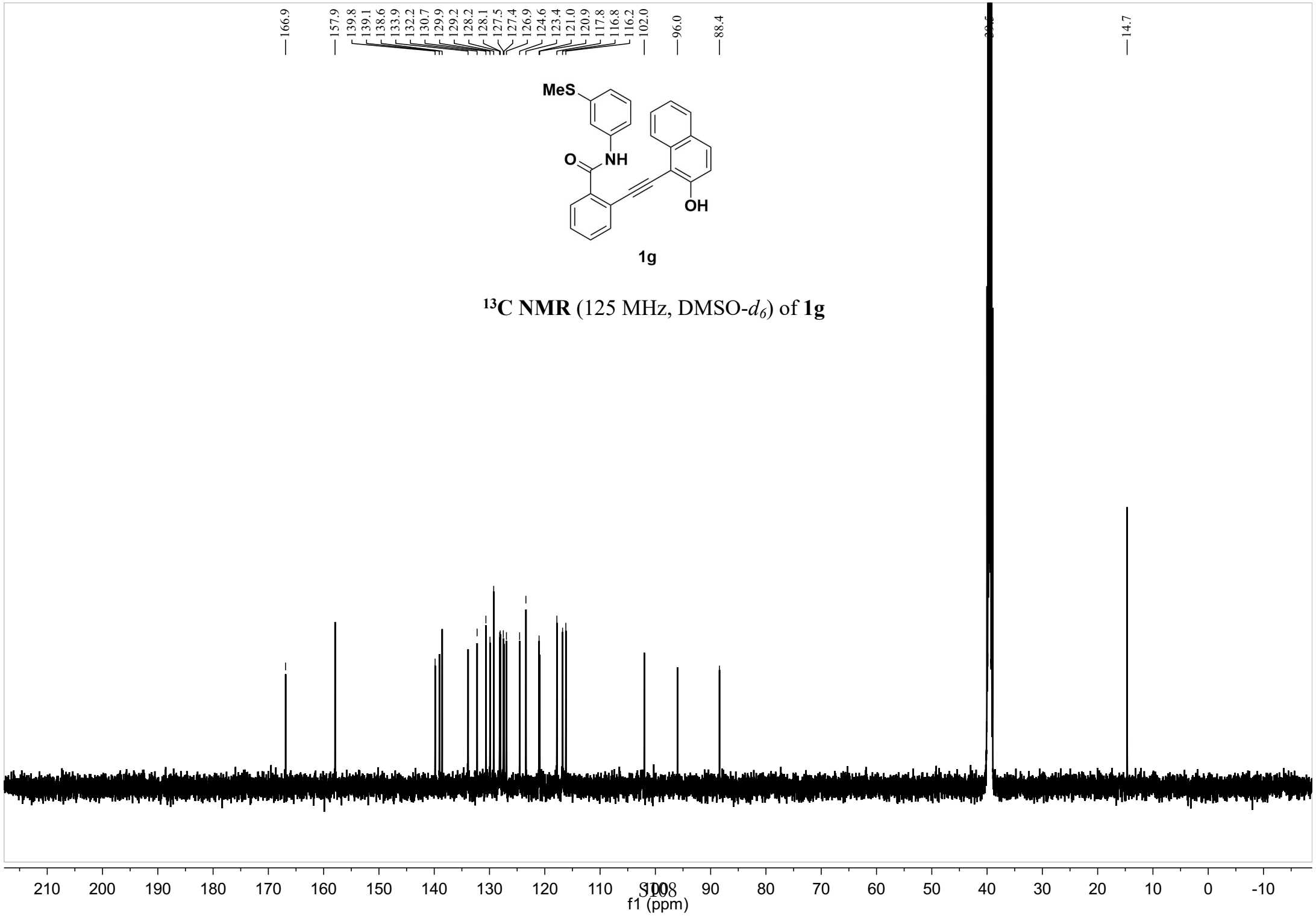


^{13}C NMR (100 MHz, DMSO-d_6) of **1f**

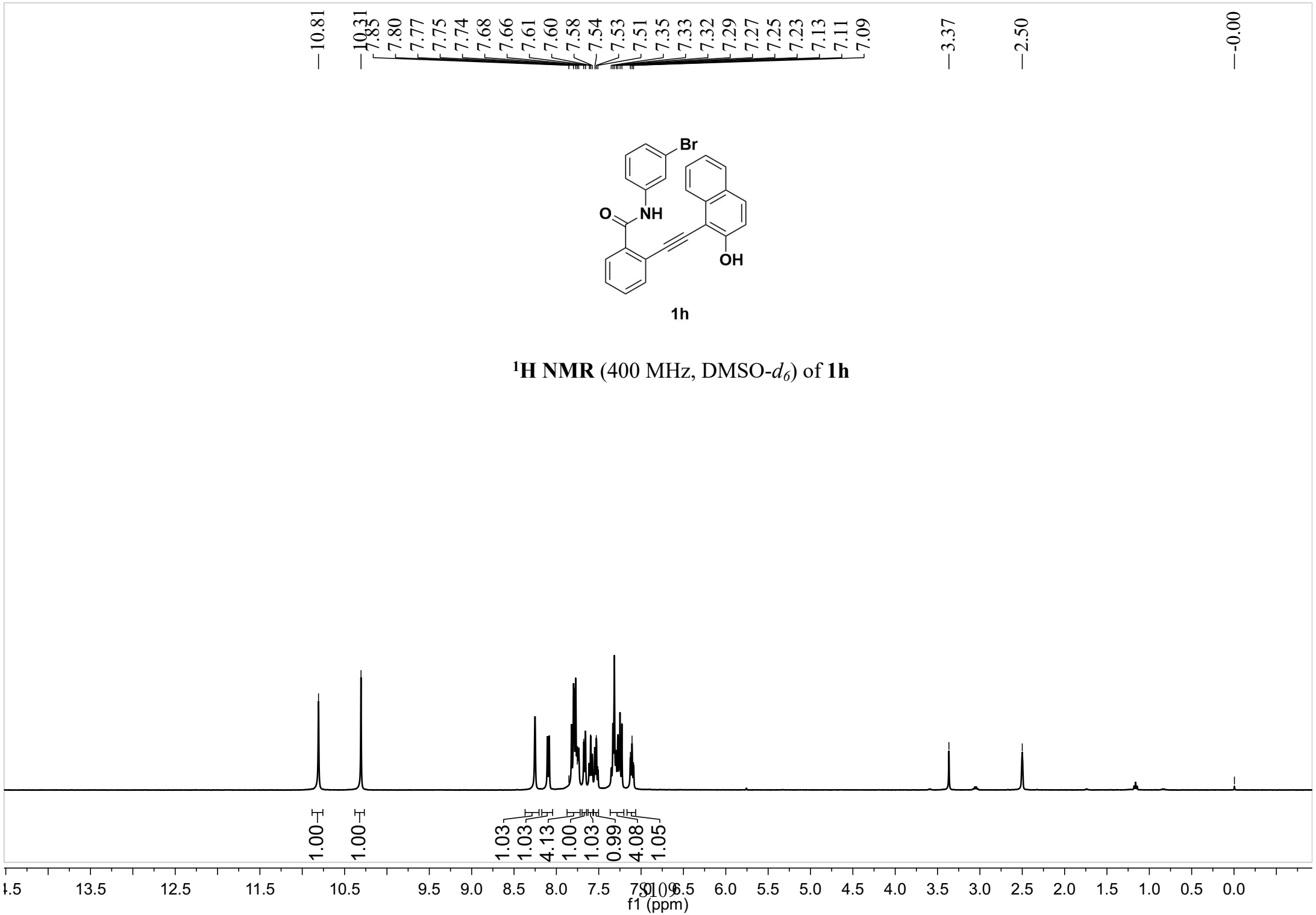


1g

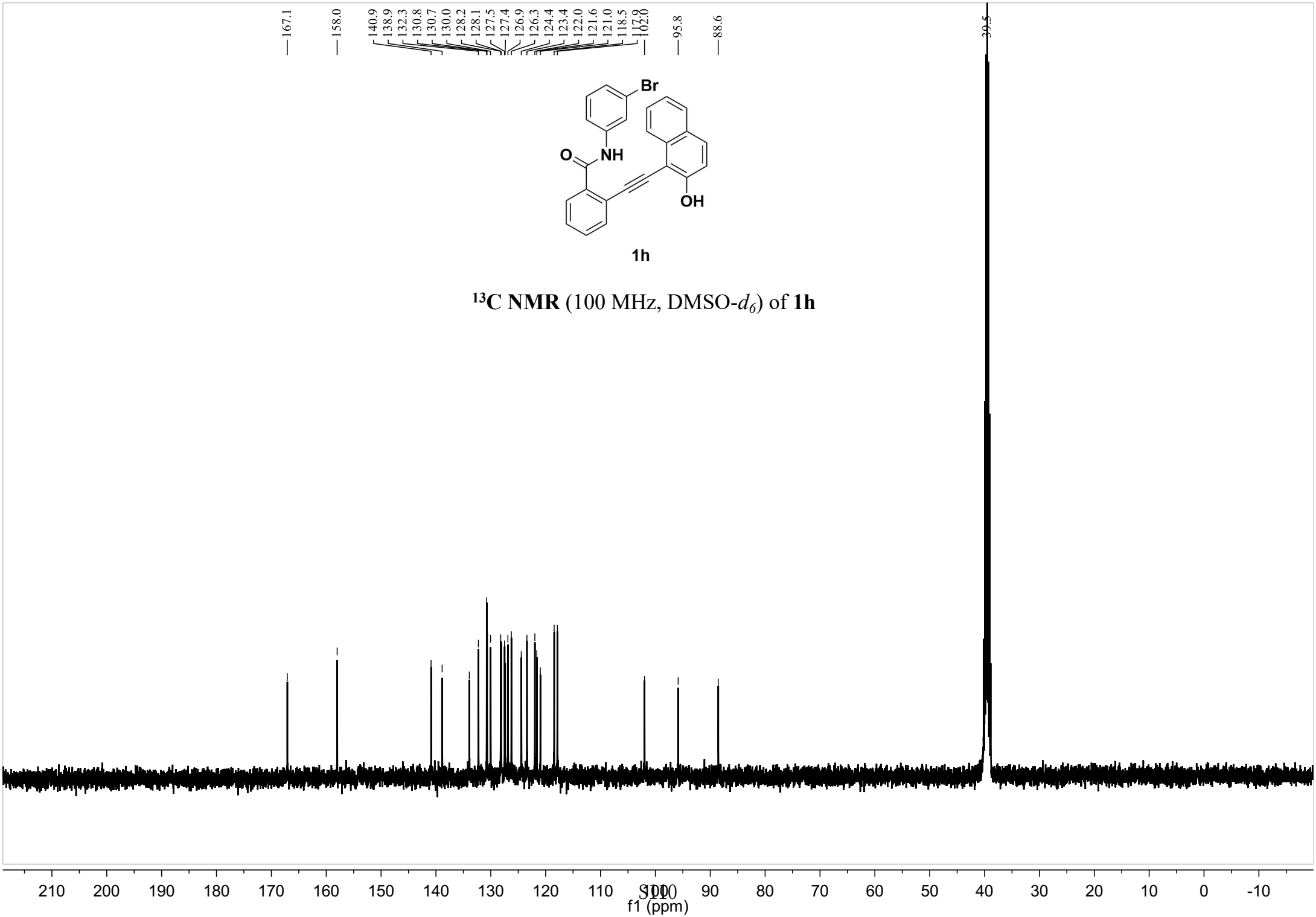
^{1}H NMR (400 MHz, DMSO-d_6) of **1g**



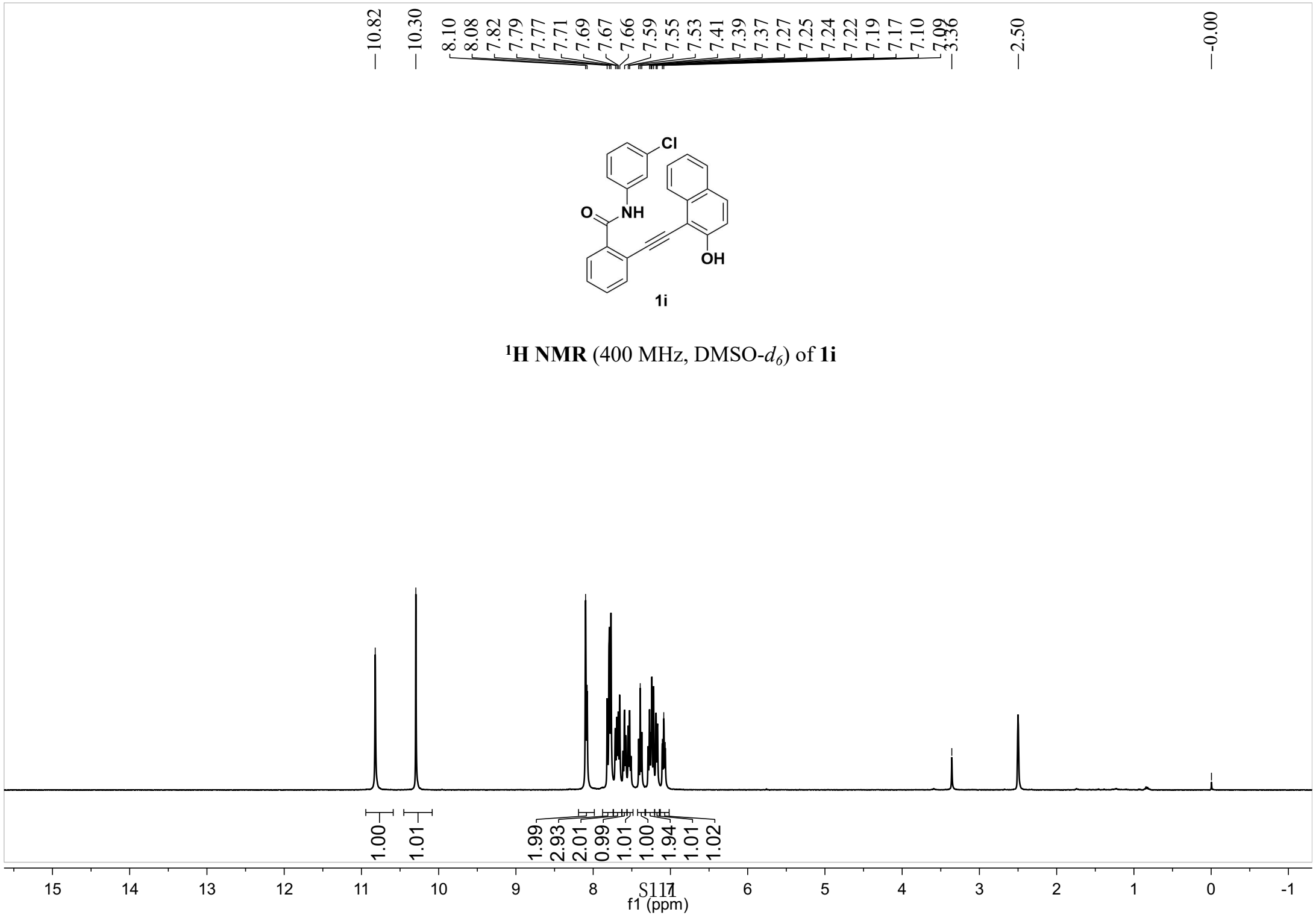
^{13}C NMR (125 MHz, DMSO-d_6) of **1g**



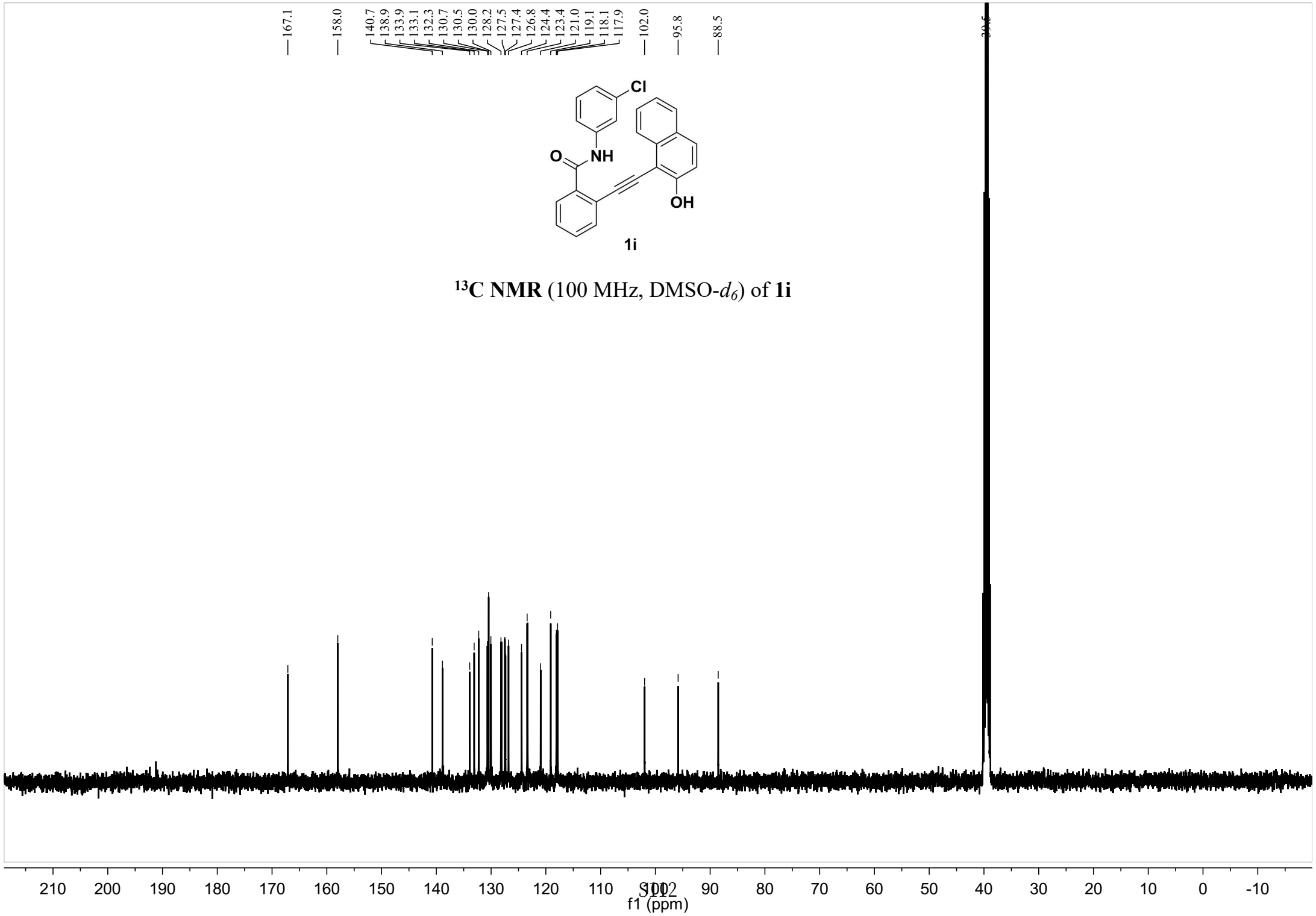
^{1}H NMR (400 MHz, DMSO-d_6) of **1h**



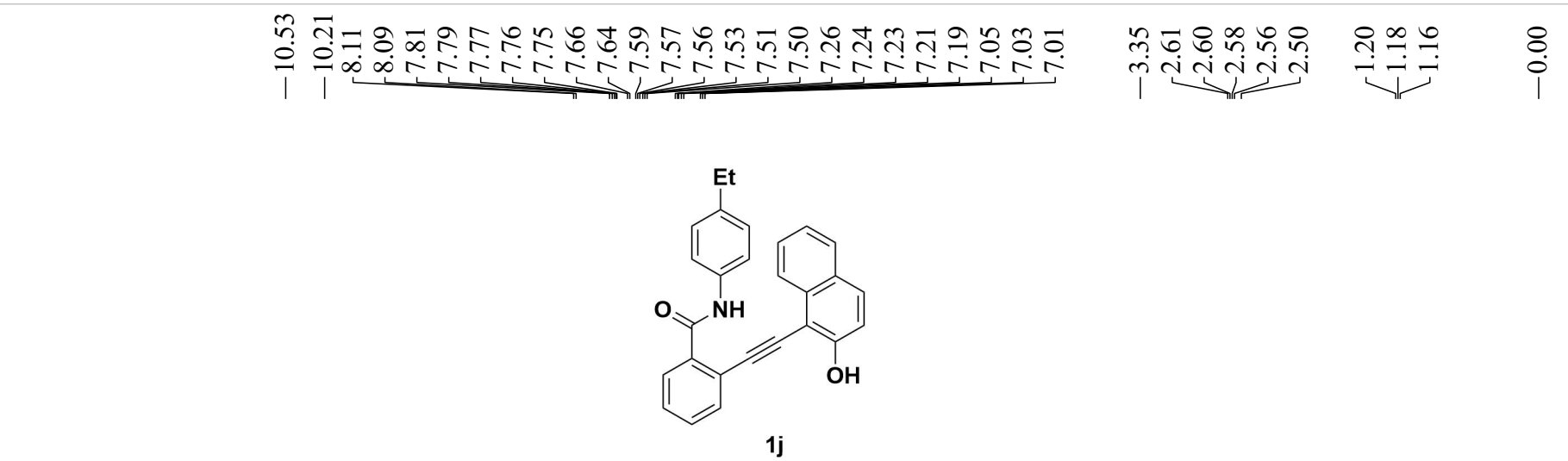
^{13}C NMR (100 MHz, DMSO-d_6) of **1h**



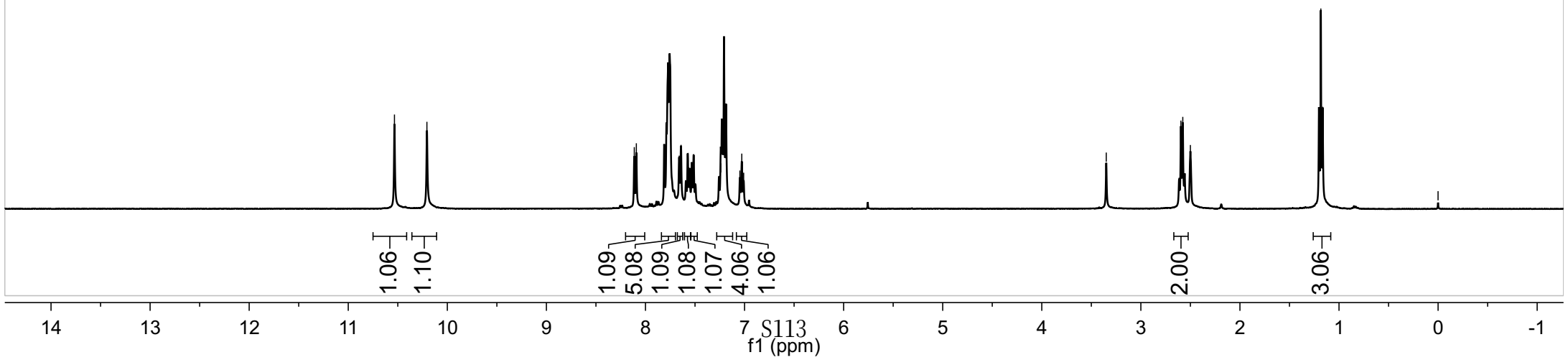
^{1}H NMR (400 MHz, DMSO-d_6) of **1i**

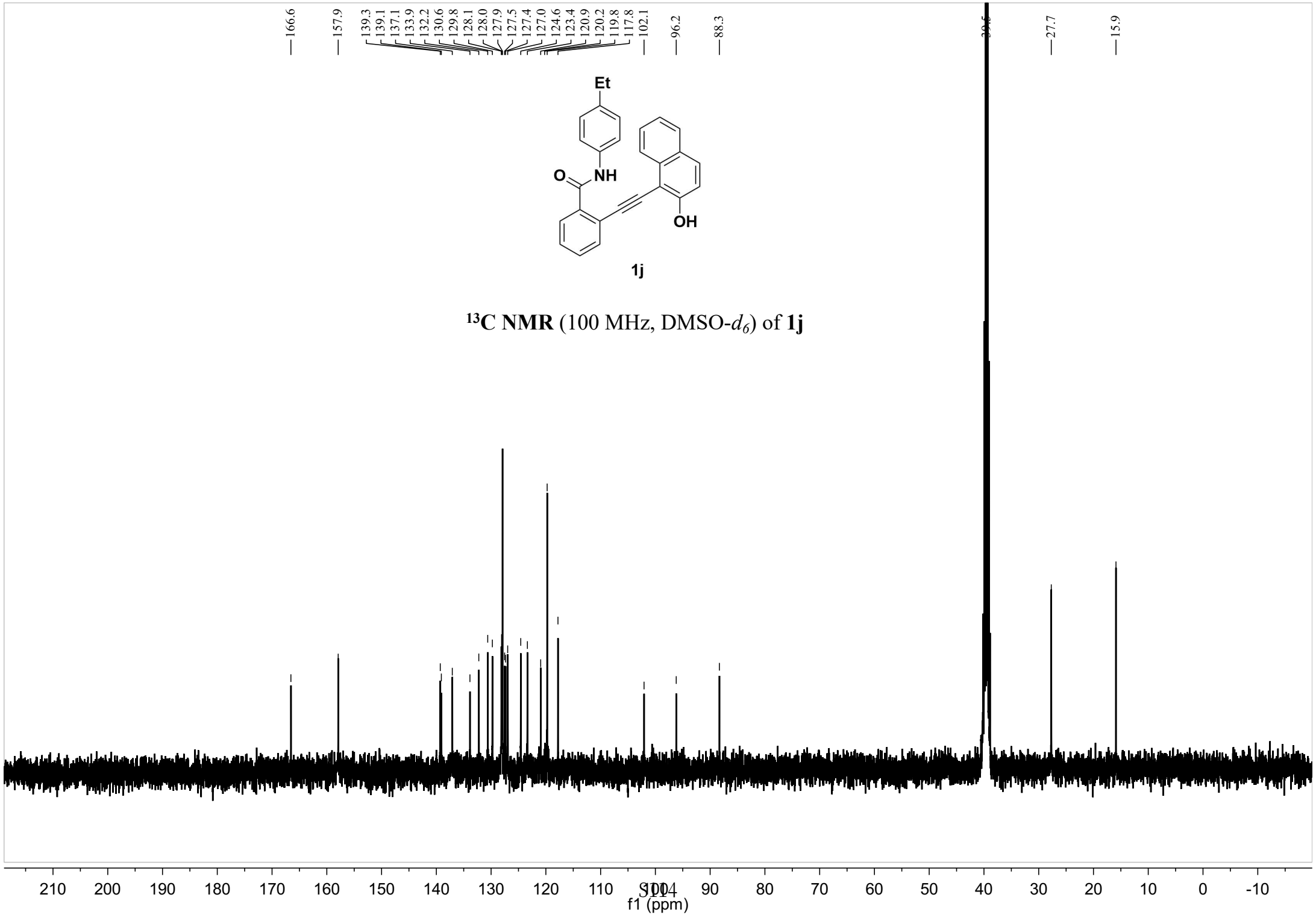


^{13}C NMR (100 MHz, DMSO-d_6) of **1i**

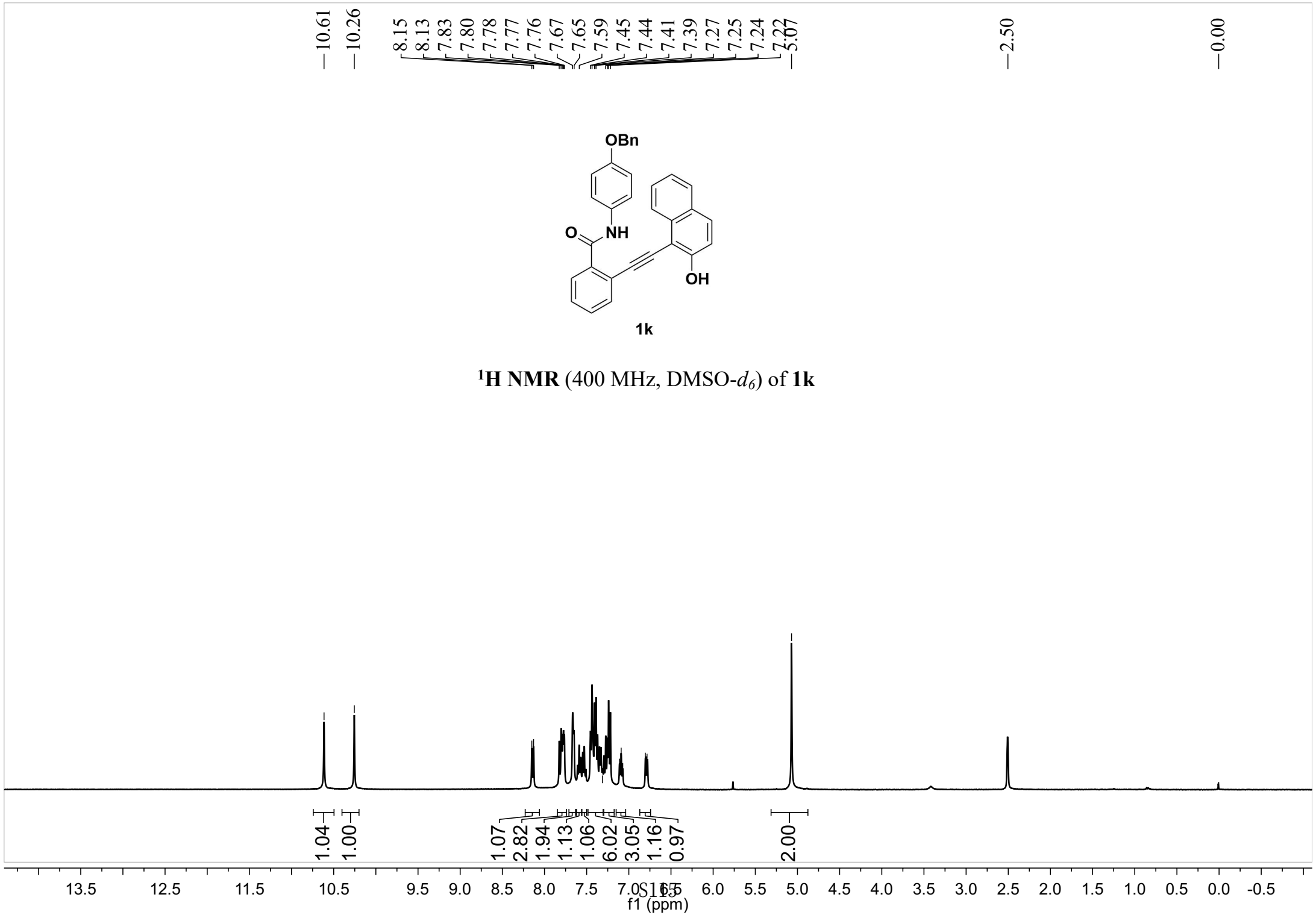


^{1}H NMR (400 MHz, DMSO-d_6) of **1j**

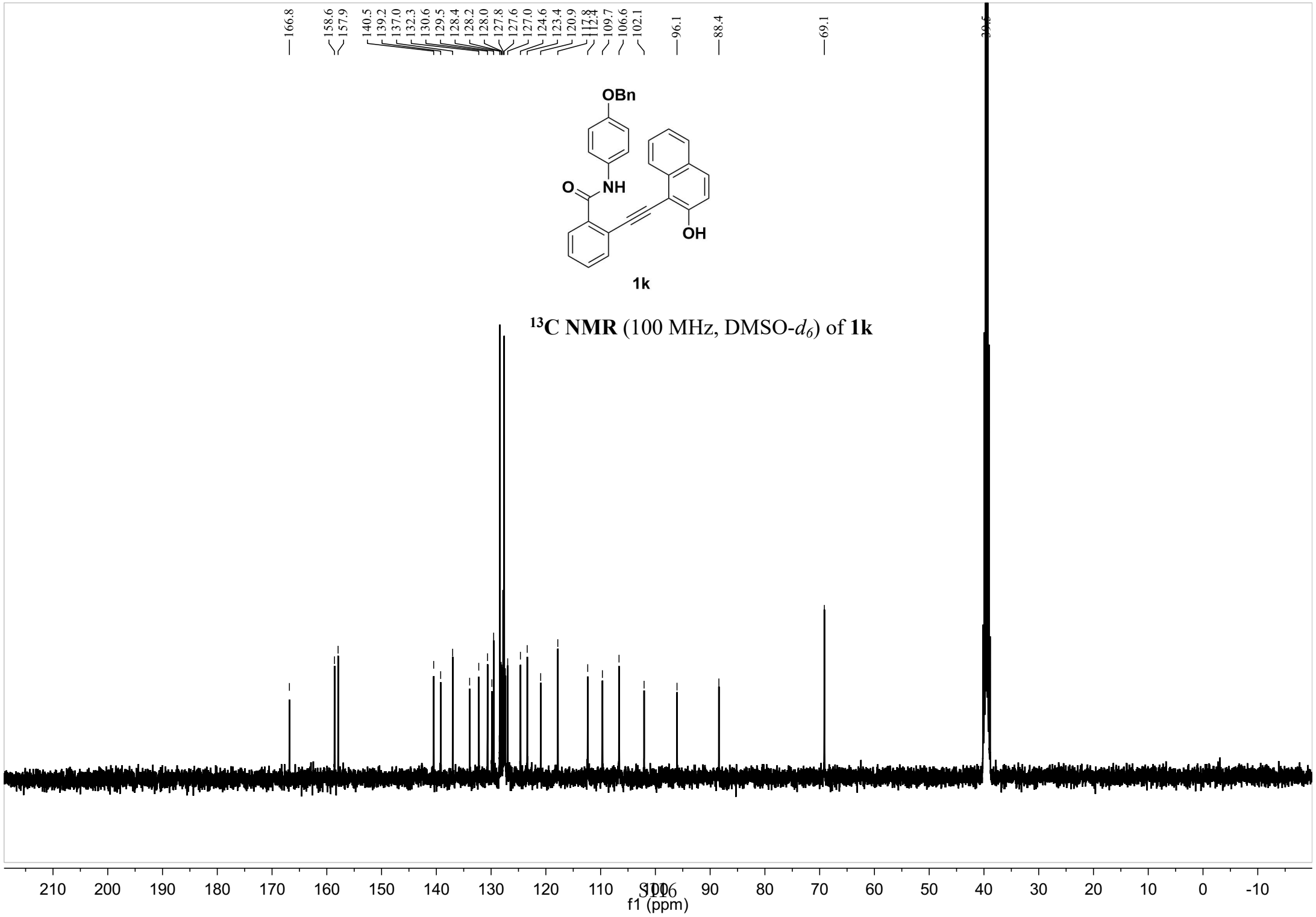




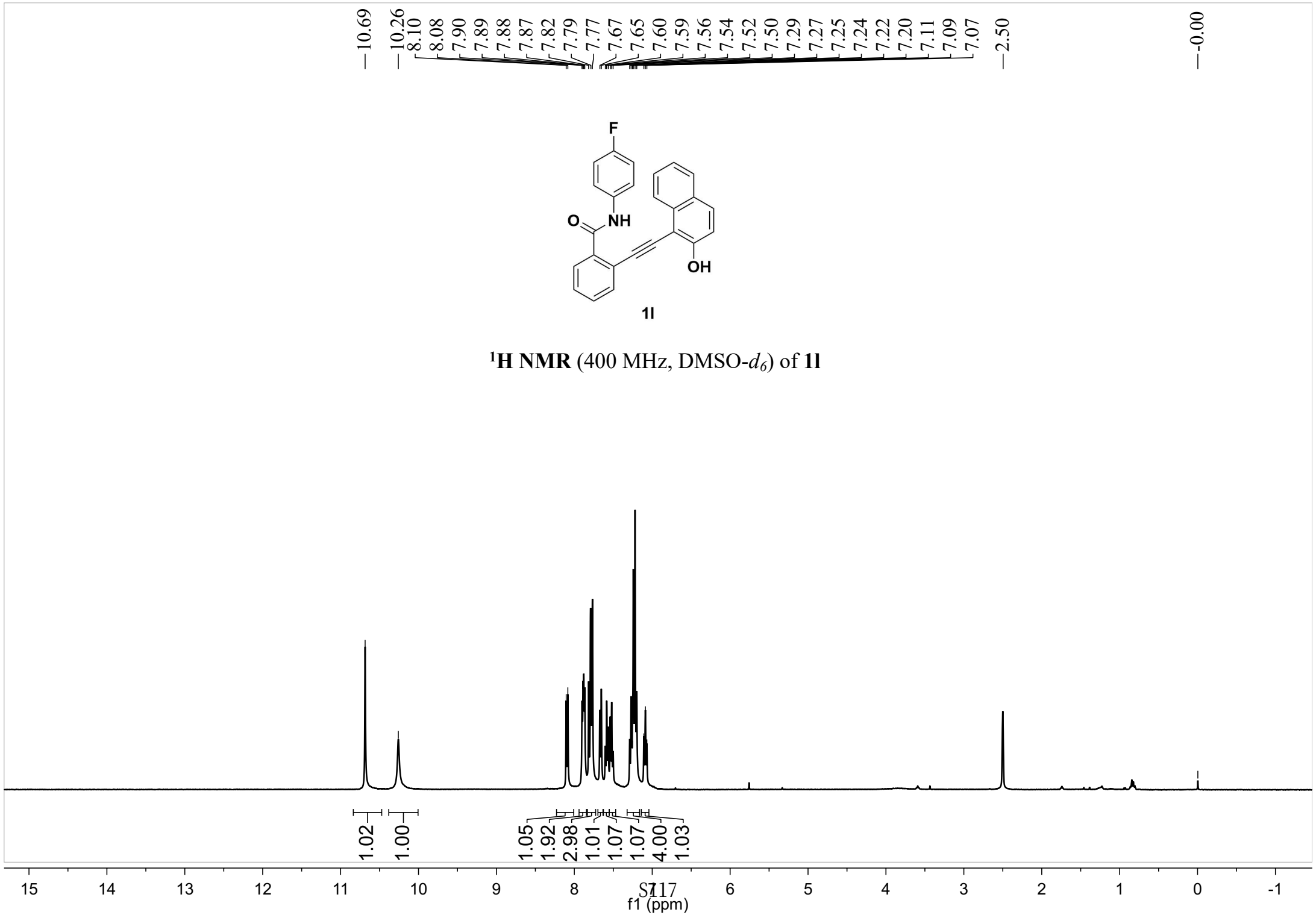
^{13}C NMR (100 MHz, DMSO-d_6) of **1j**



^{1}H NMR (400 MHz, DMSO-d_6) of **1k**

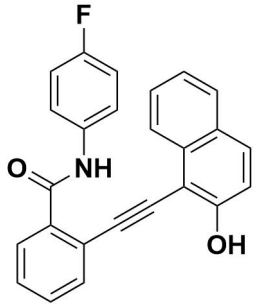


^{13}C NMR (100 MHz, DMSO-d_6) of **1k**



1l

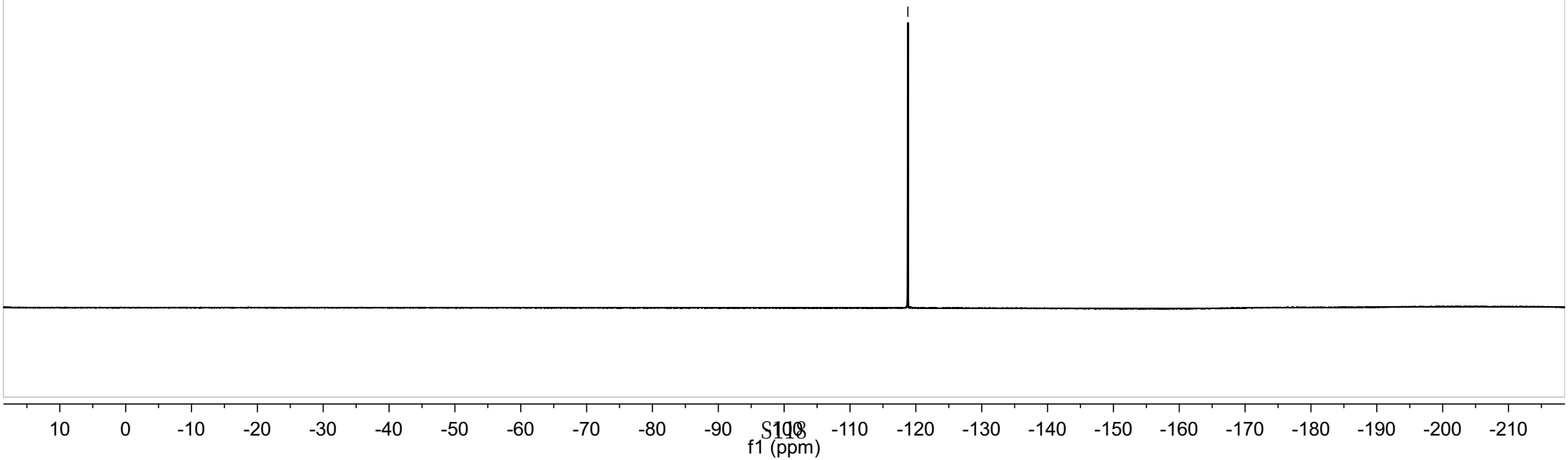
^{1}H NMR (400 MHz, DMSO-d_6) of **1l**

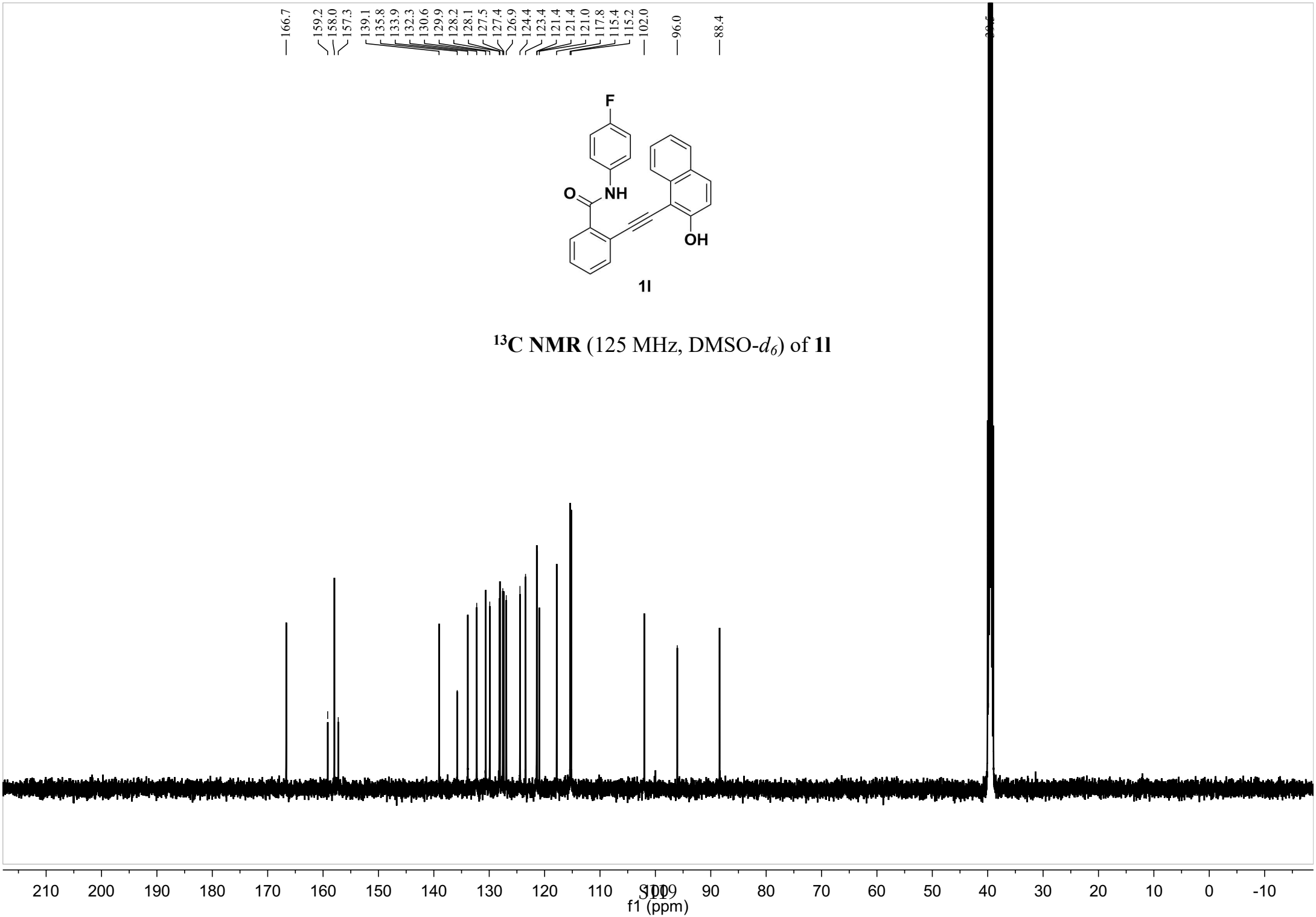


1l

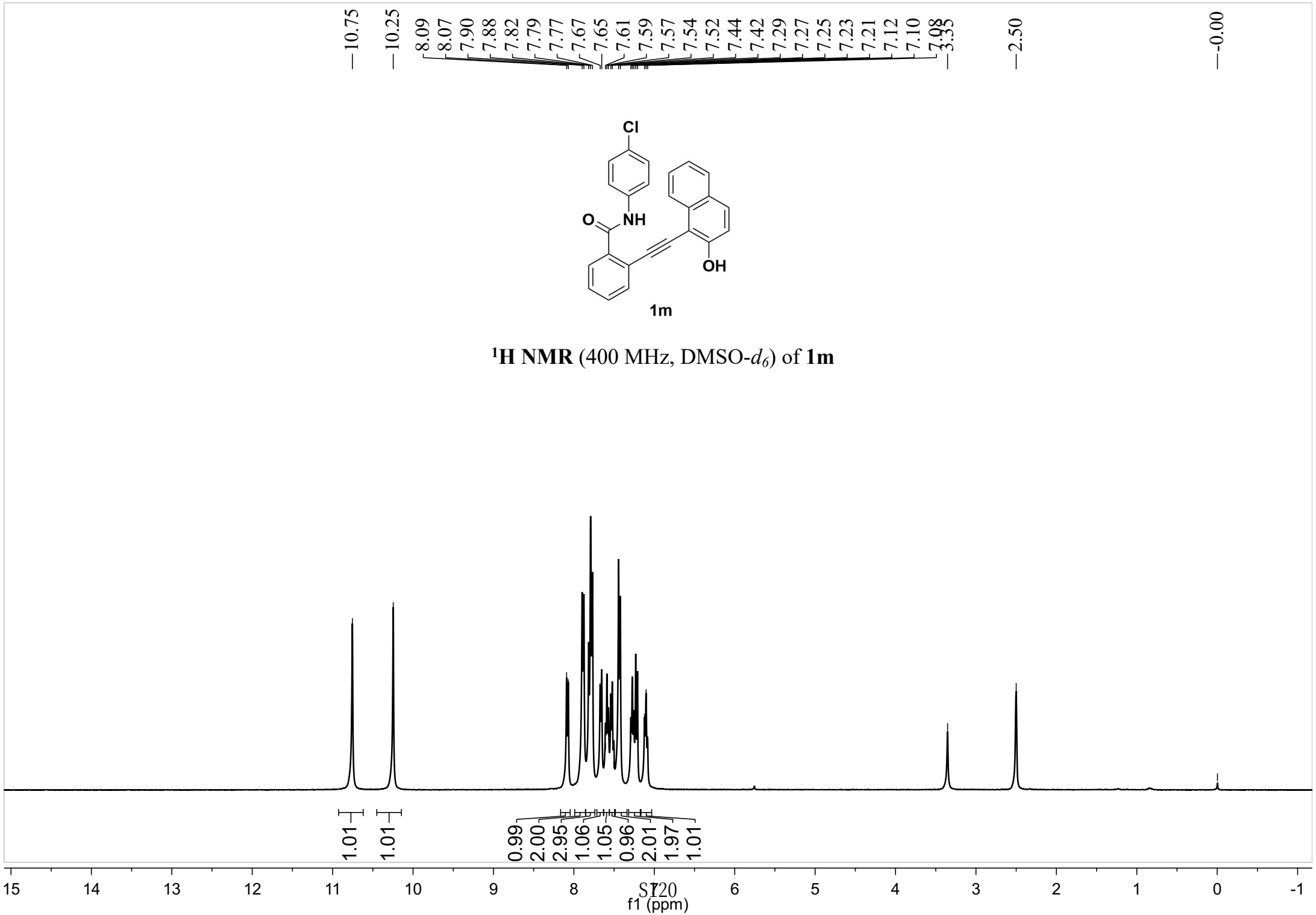
-118.79

^{19}F NMR (376 MHz, DMSO) of **1l**

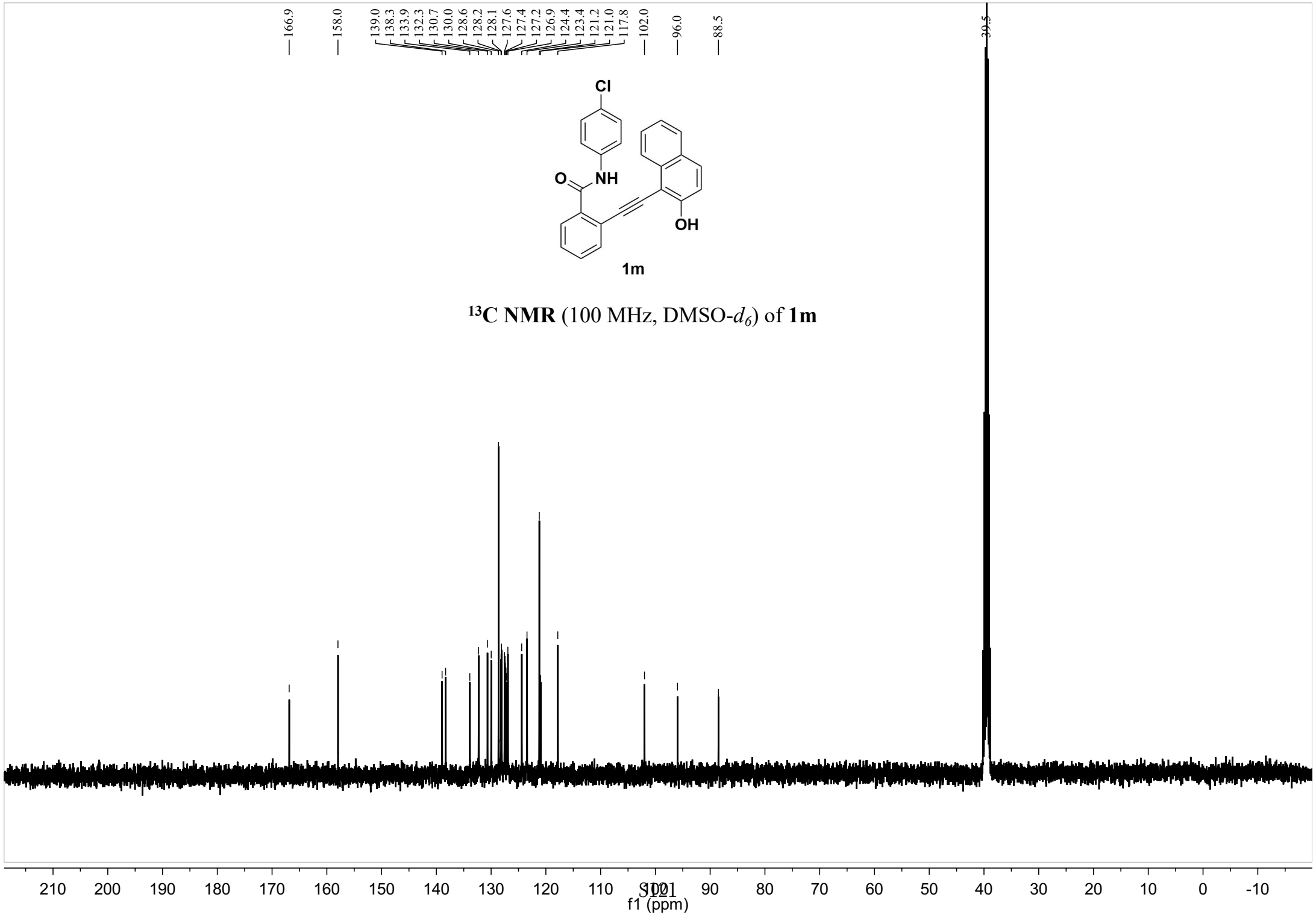




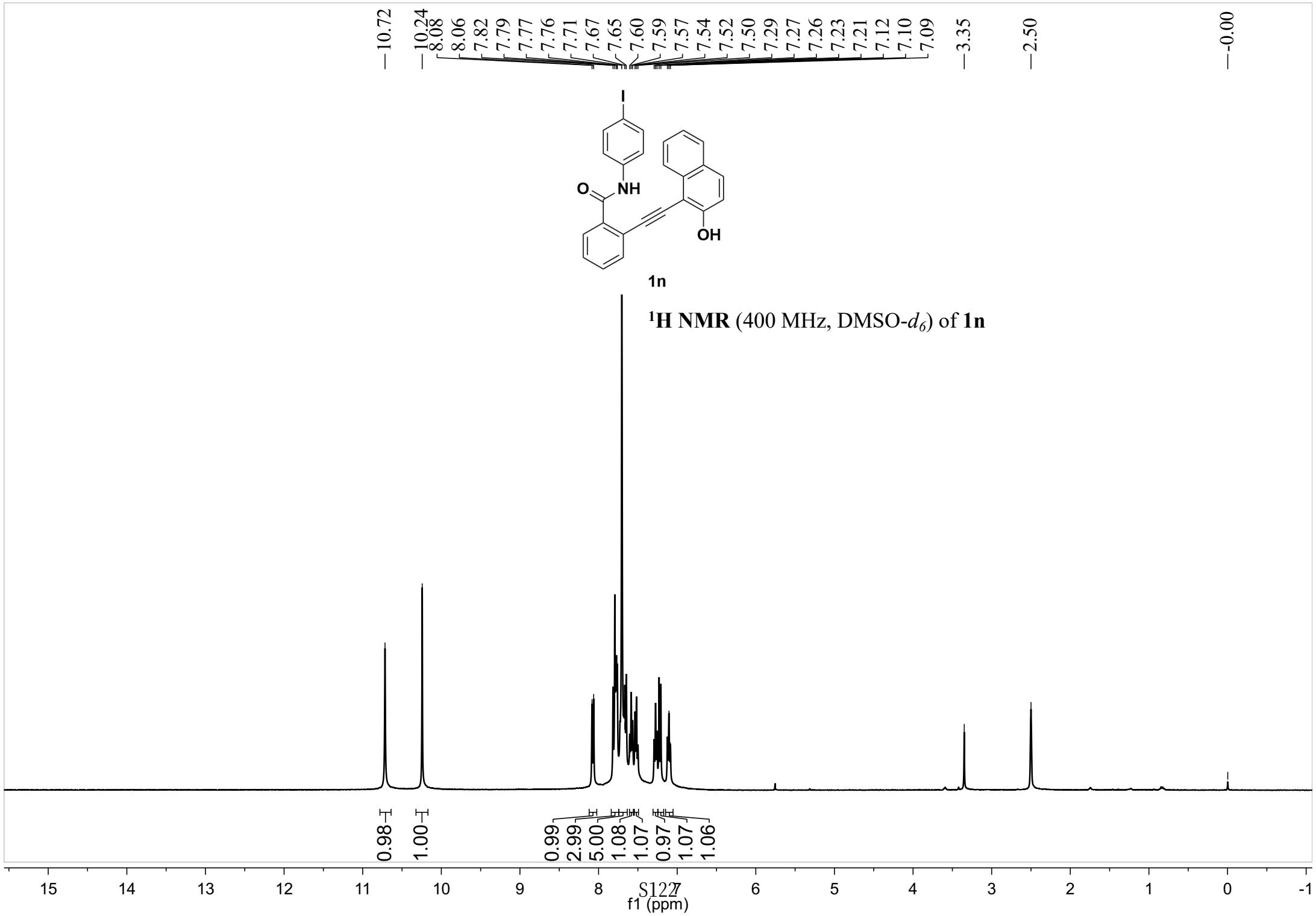
^{13}C NMR (125 MHz, DMSO-d_6) of **1l**



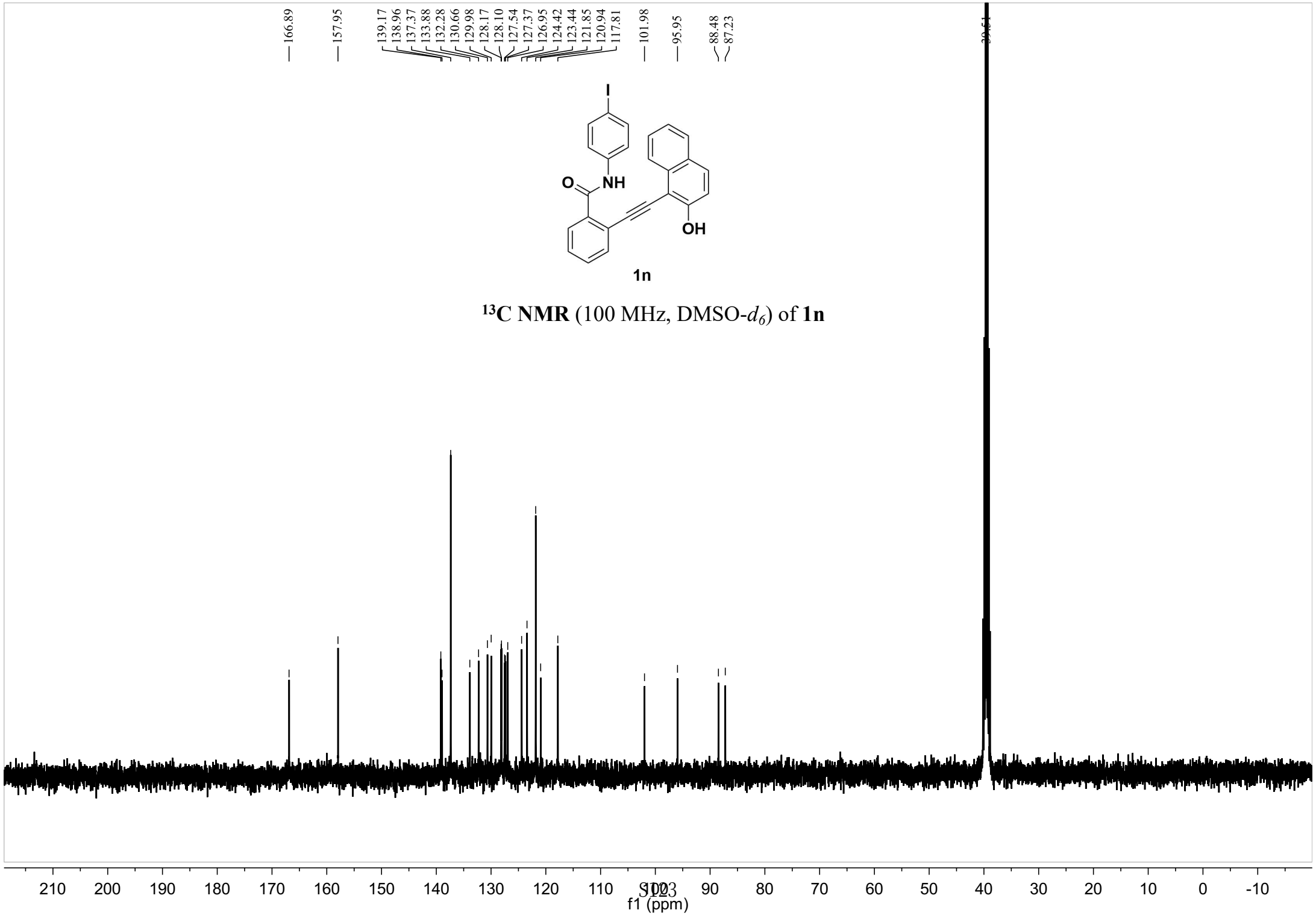
^{1}H NMR (400 MHz, DMSO-d_6) of **1m**



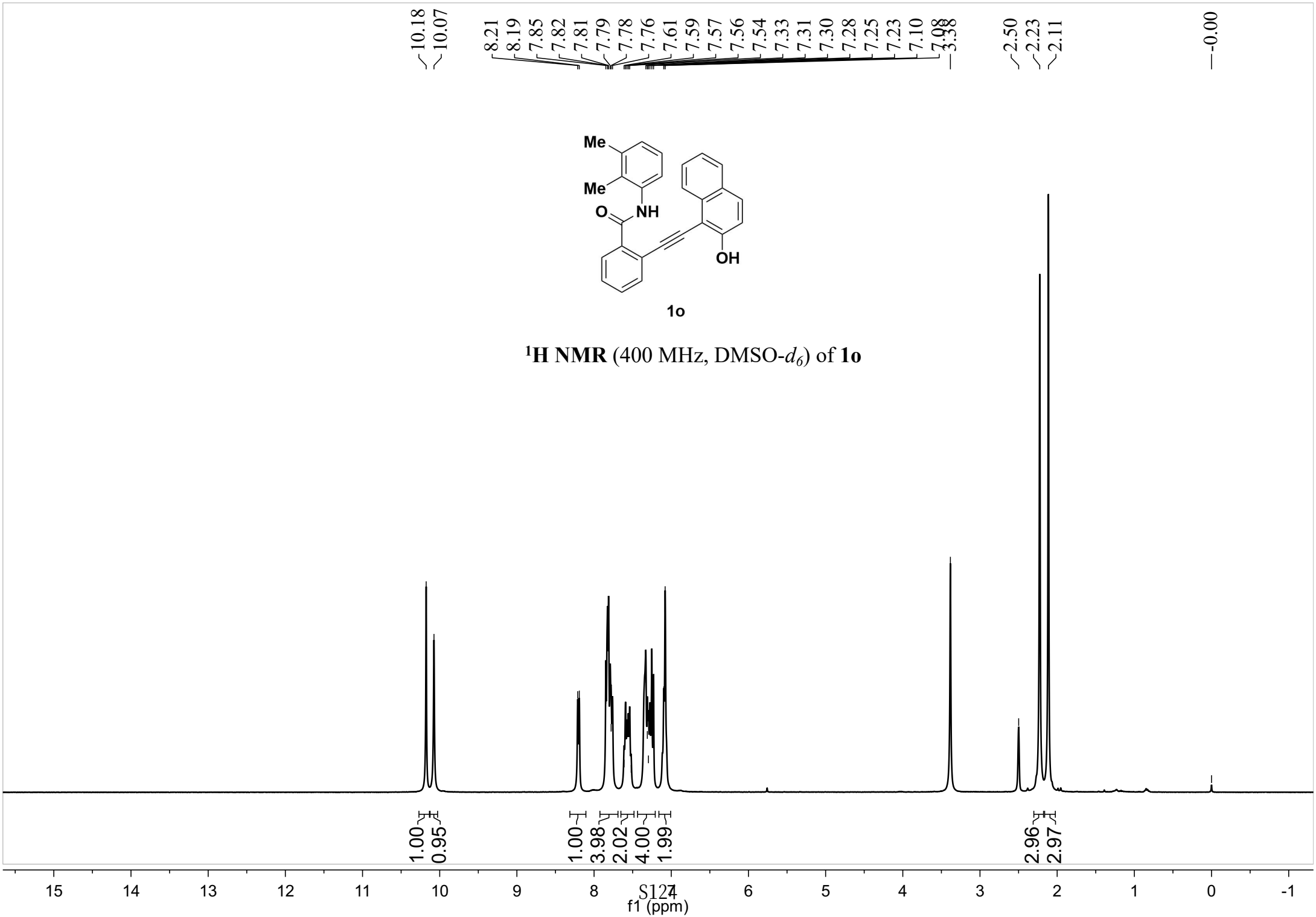
^{13}C NMR (100 MHz, DMSO-d_6) of **1m**



^{1}H NMR (400 MHz, DMSO-d_6) of **1n**

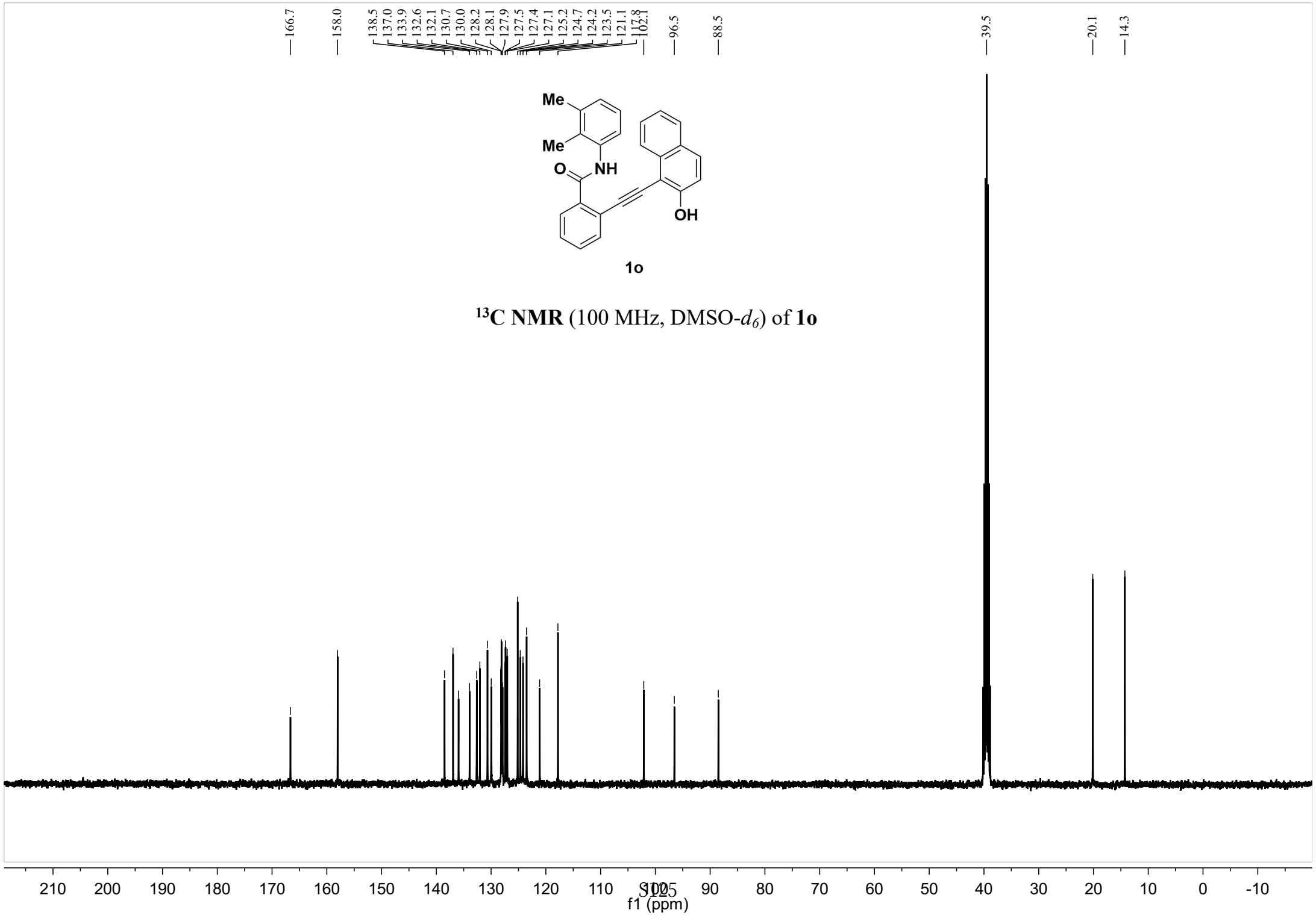


^{13}C NMR (100 MHz, DMSO-d_6) of **1n**



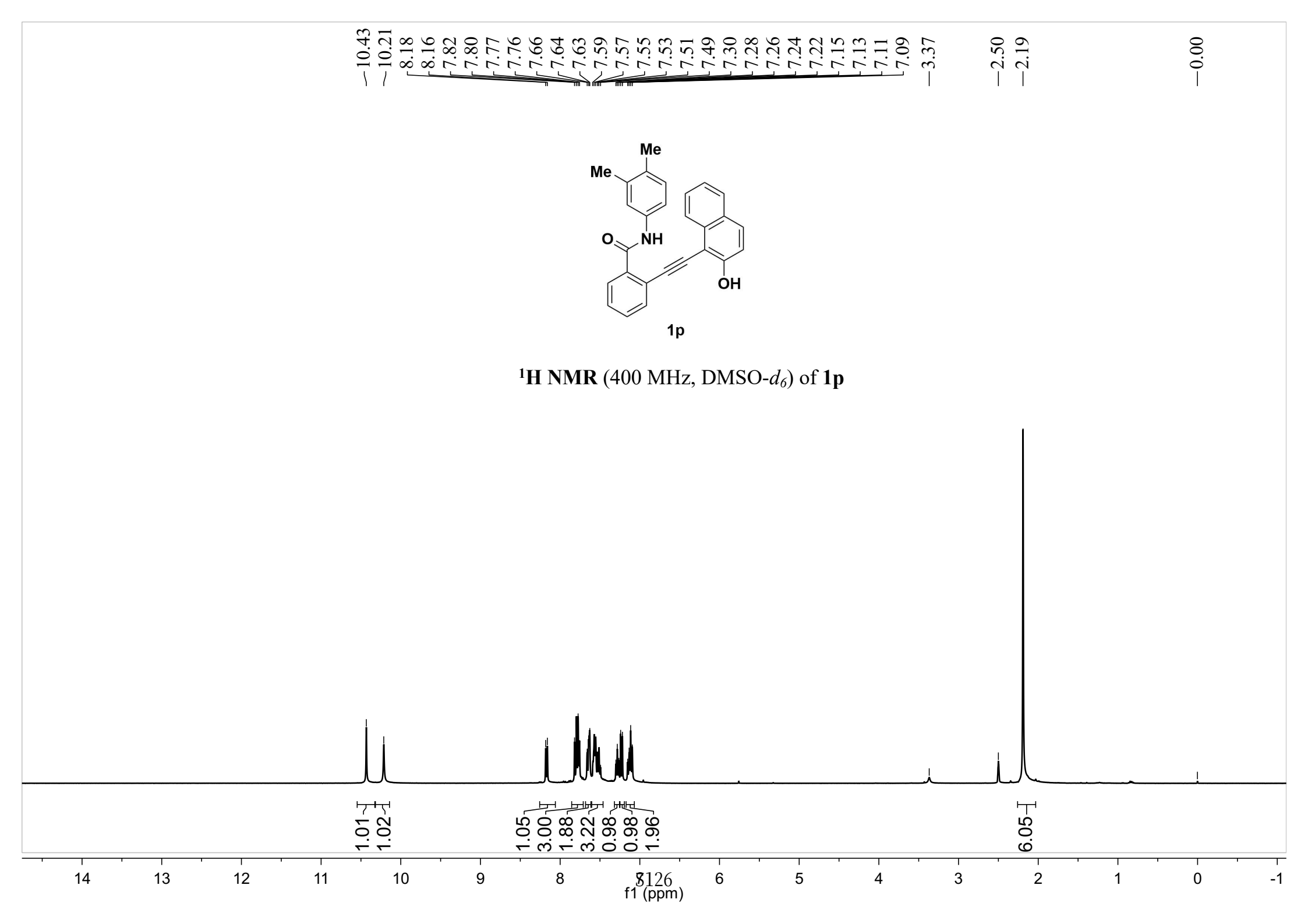
1o

^{1}H NMR (400 MHz, DMSO-d_6) of **1o**

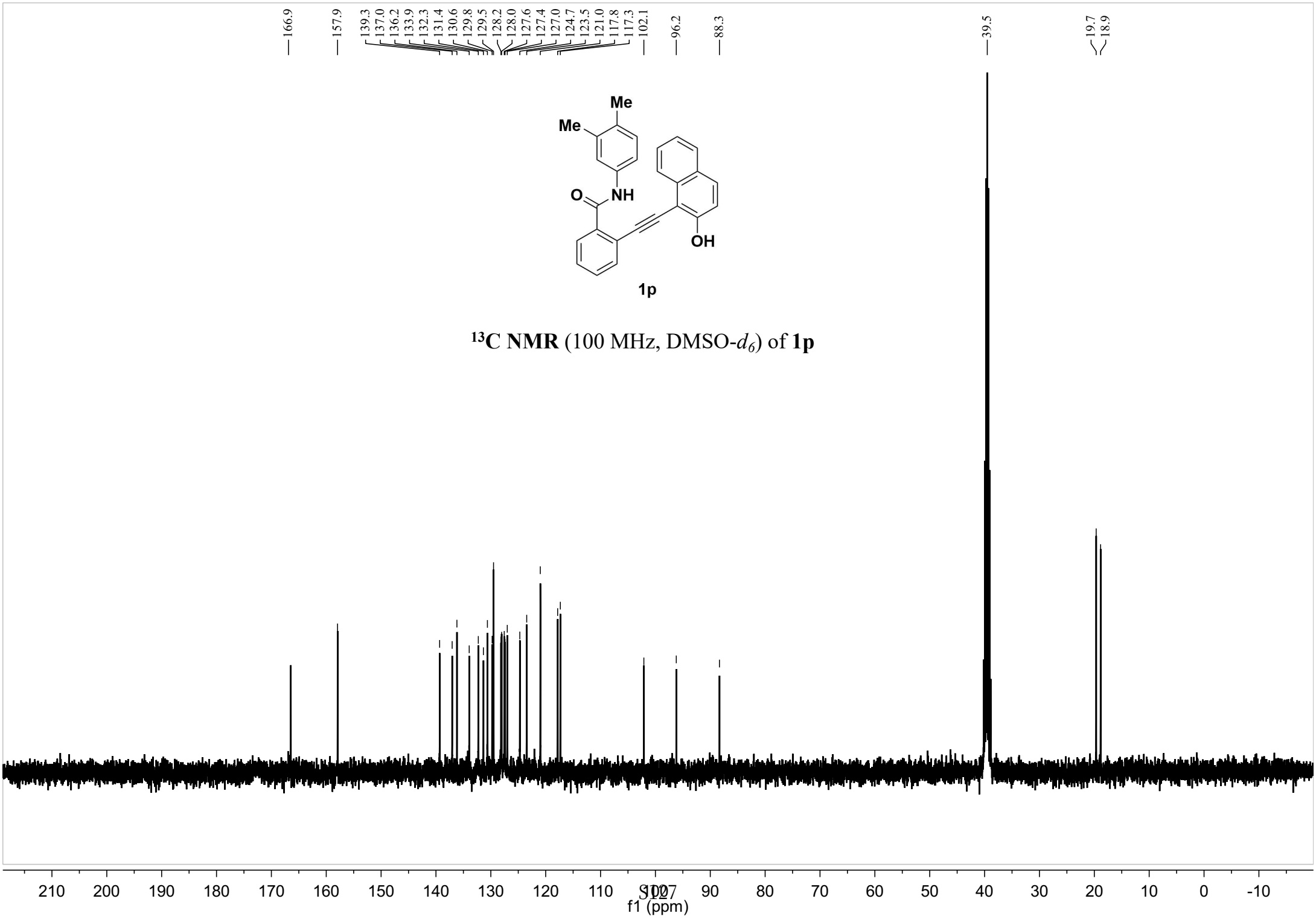


1o

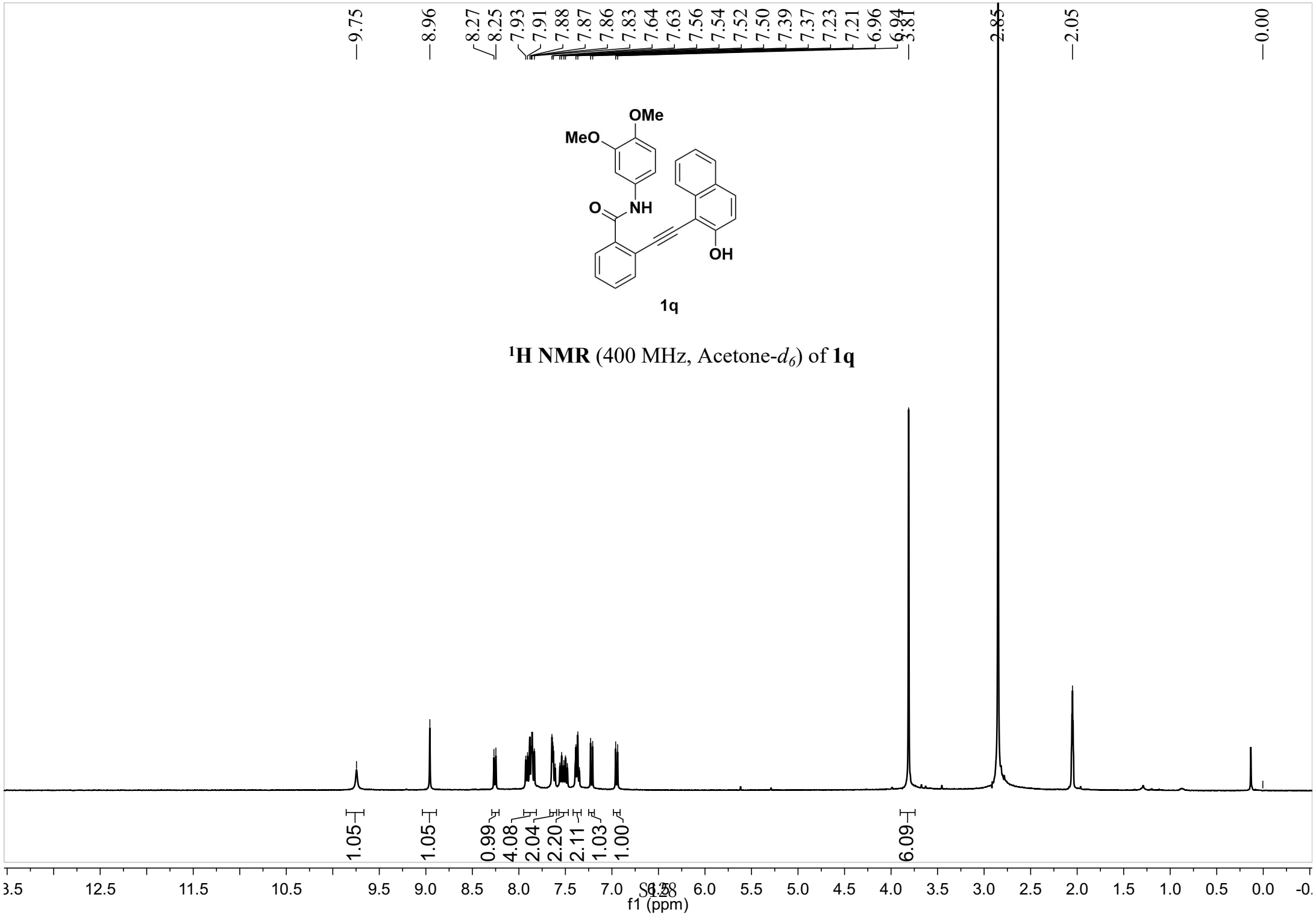
^{13}**C NMR** (100 MHz, DMSO-d_6) of **1o**



^{1}H NMR (400 MHz, DMSO-d_6) of **1p**

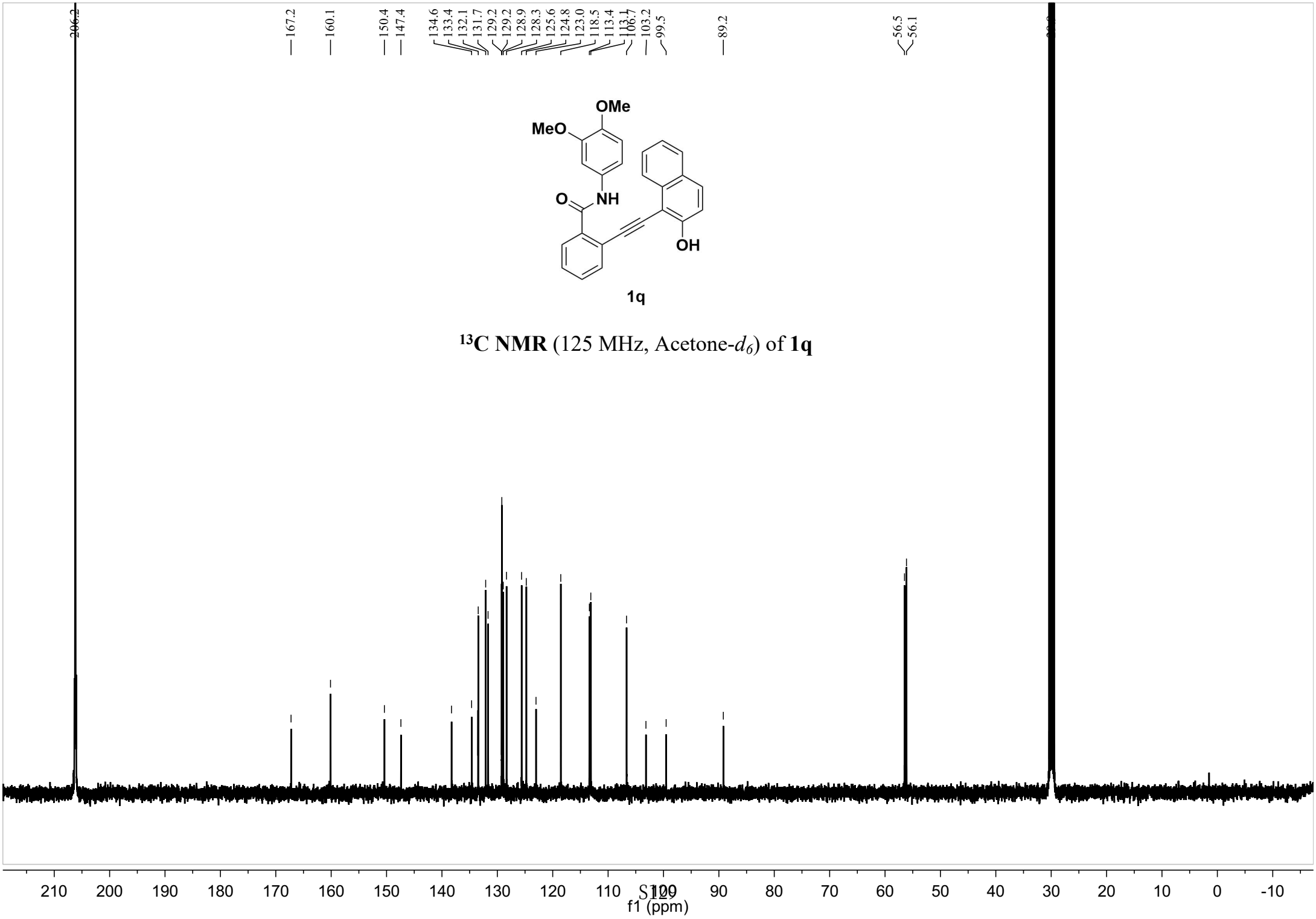


^{13}C NMR (100 MHz, DMSO-d_6) of **1p**

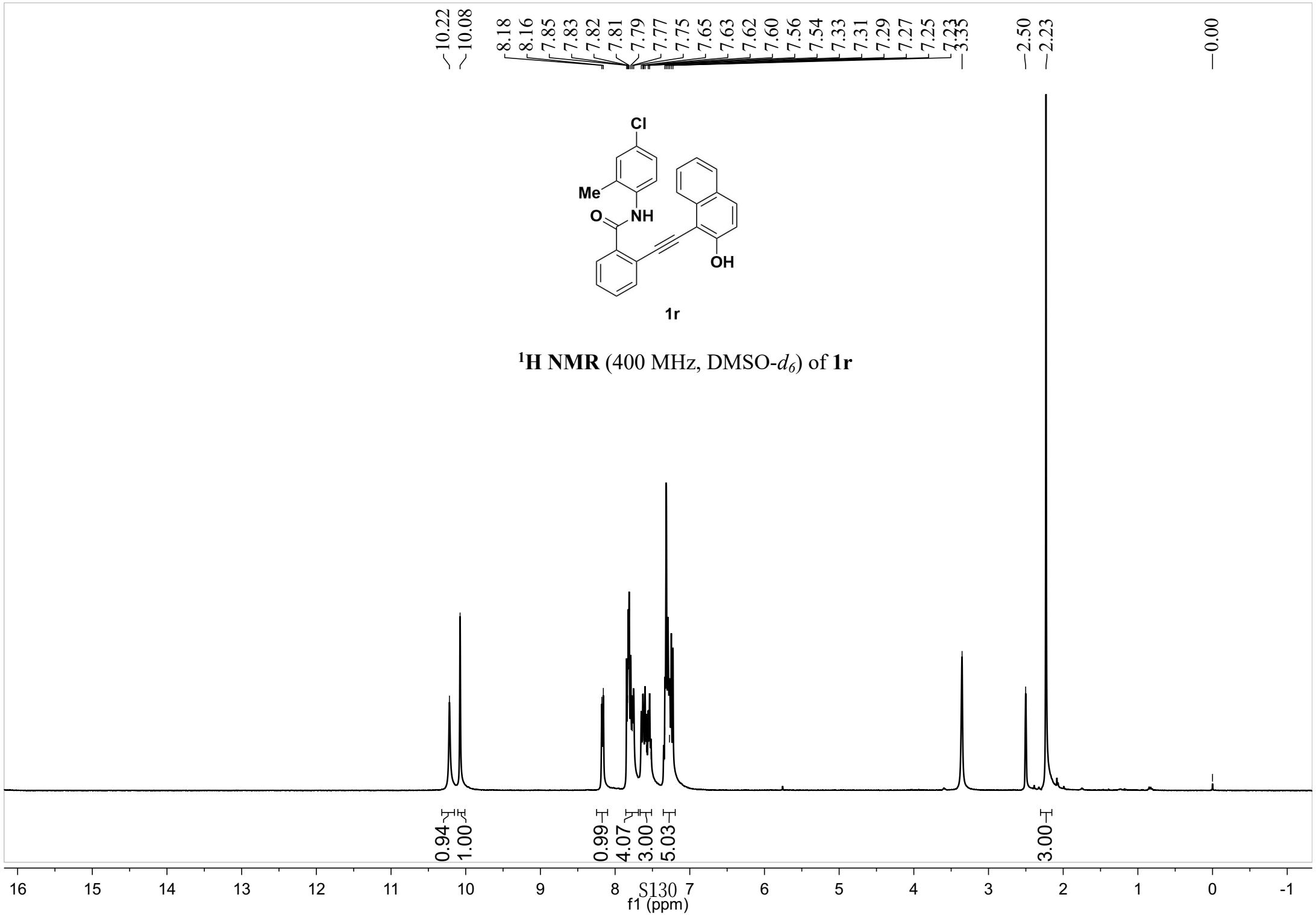


1q

^{1}H NMR (400 MHz, Acetone-d_6) of **1q**

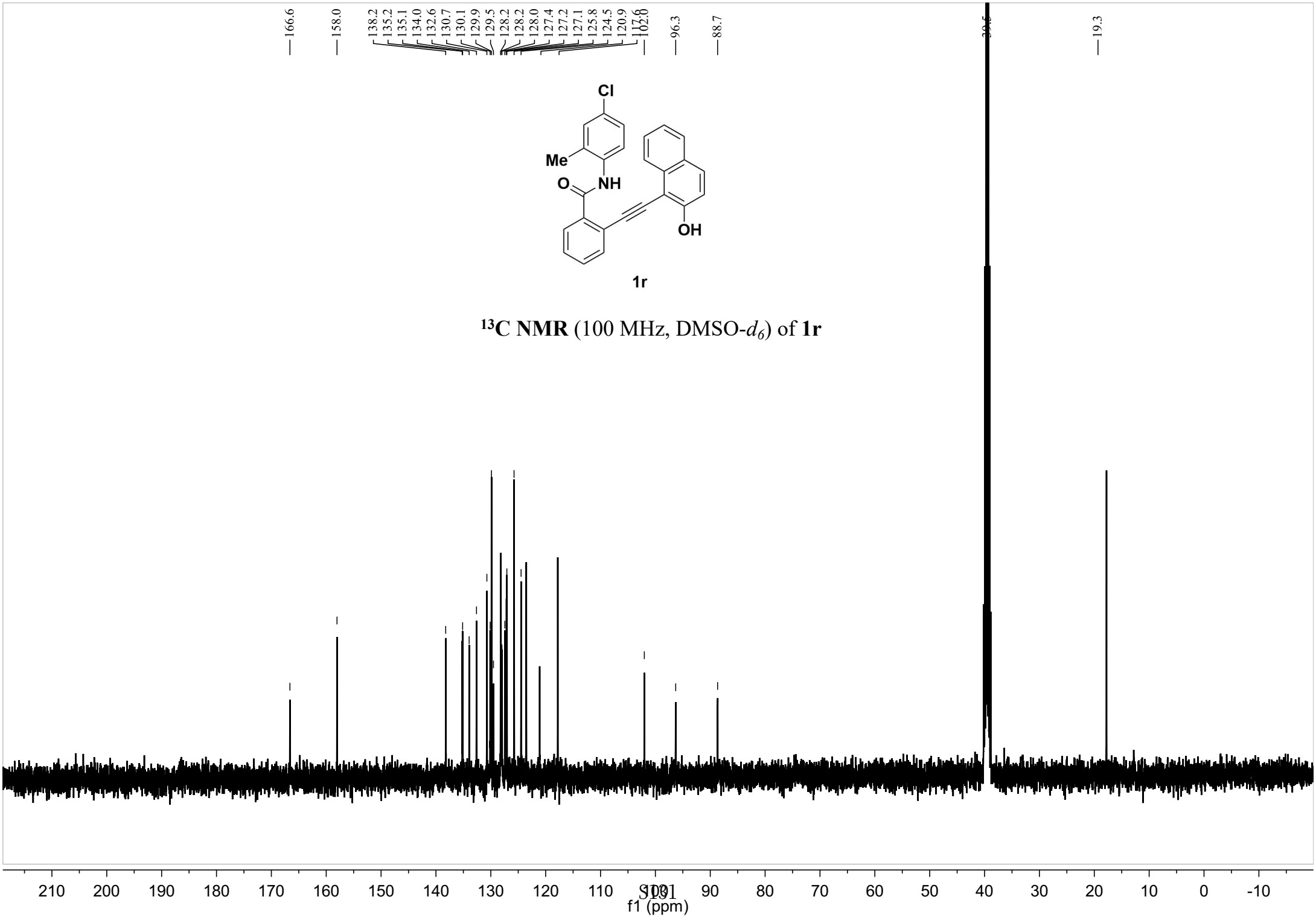


^{13}C NMR (125 MHz, Acetone-d_6) of **1q**

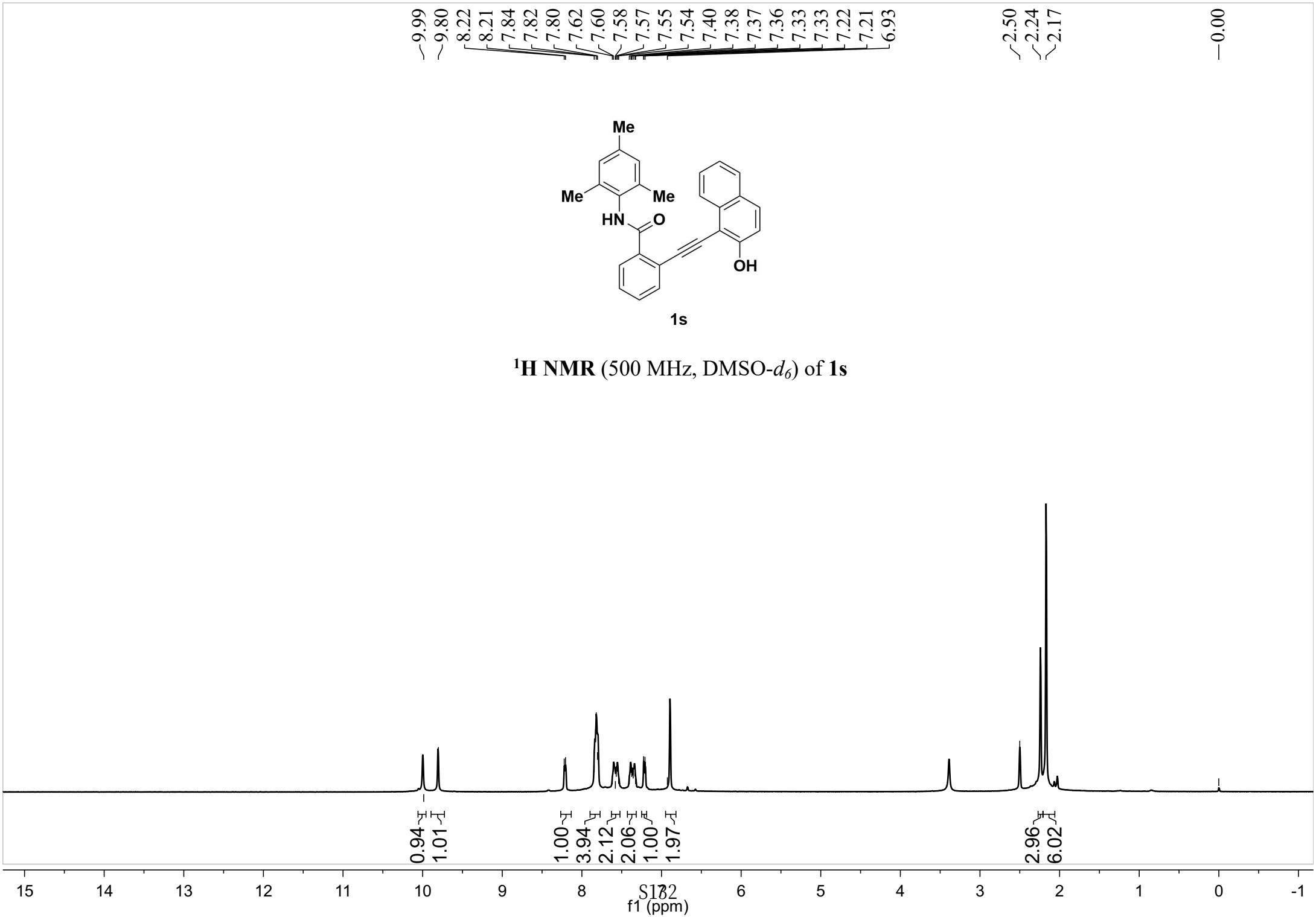


1r

^{1}H NMR (400 MHz, DMSO-d_6) of **1r**

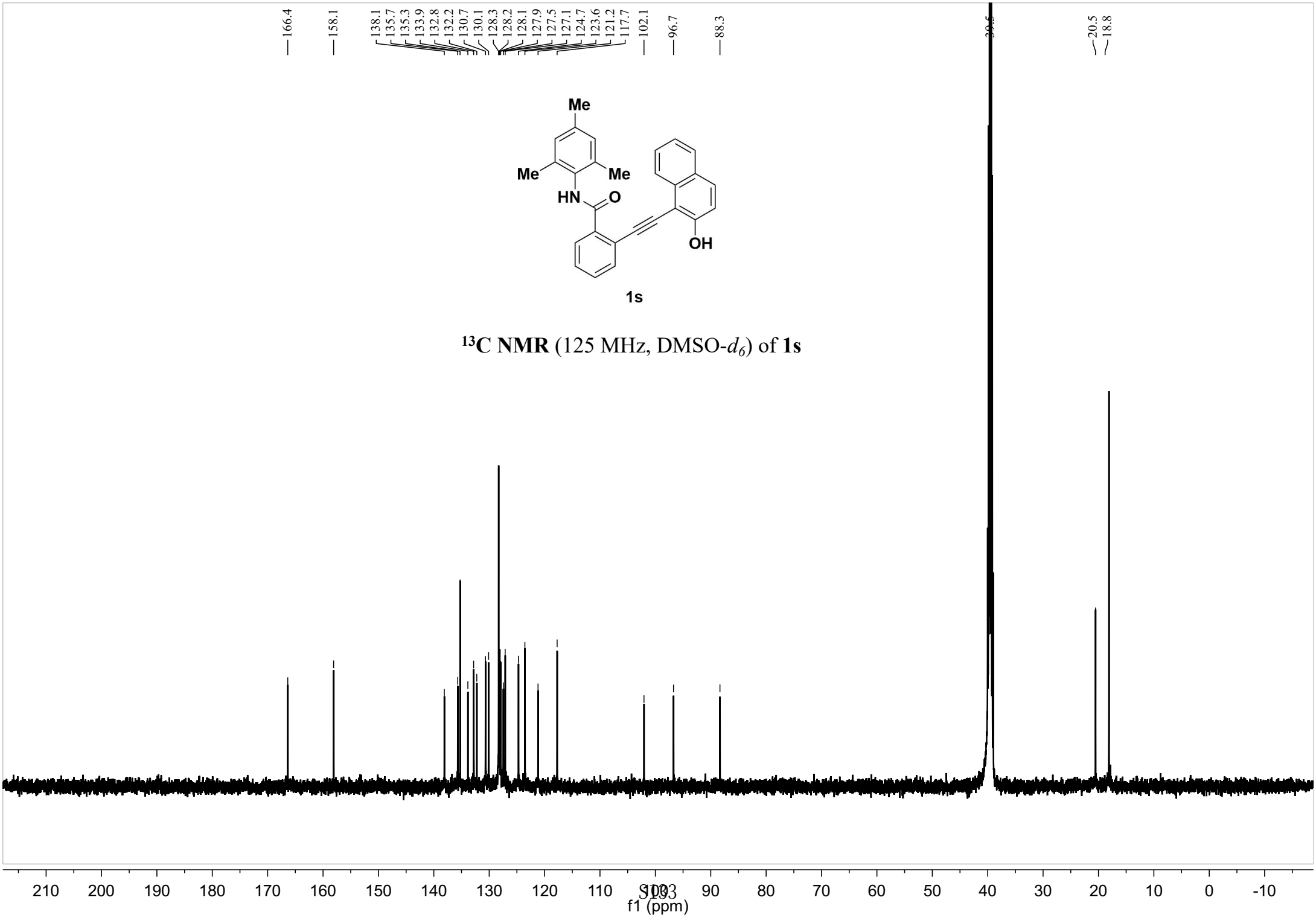


^{13}C NMR (100 MHz, DMSO-d_6) of **1r**

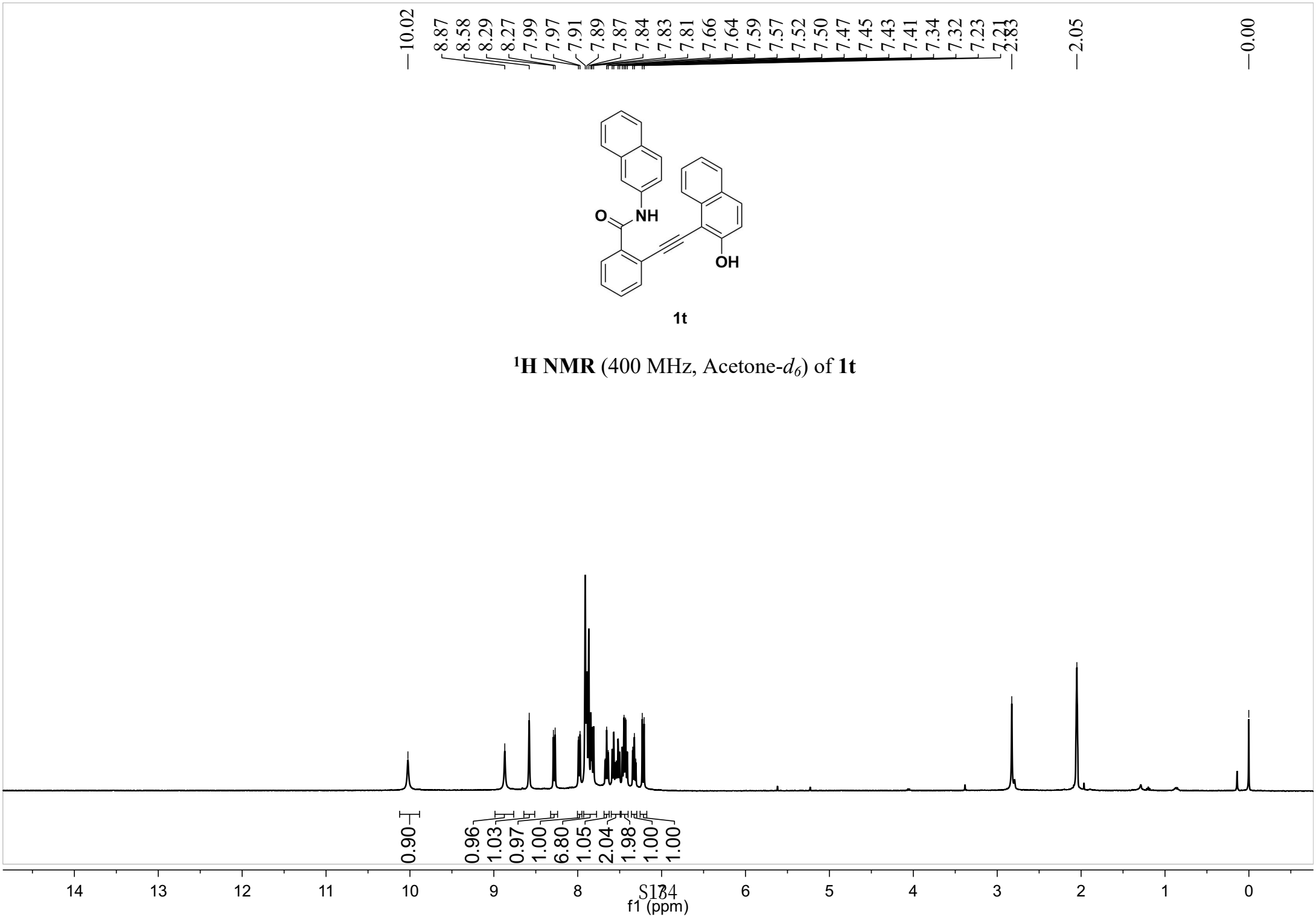


1s

^{1}H NMR (500 MHz, DMSO-d_6) of **1s**

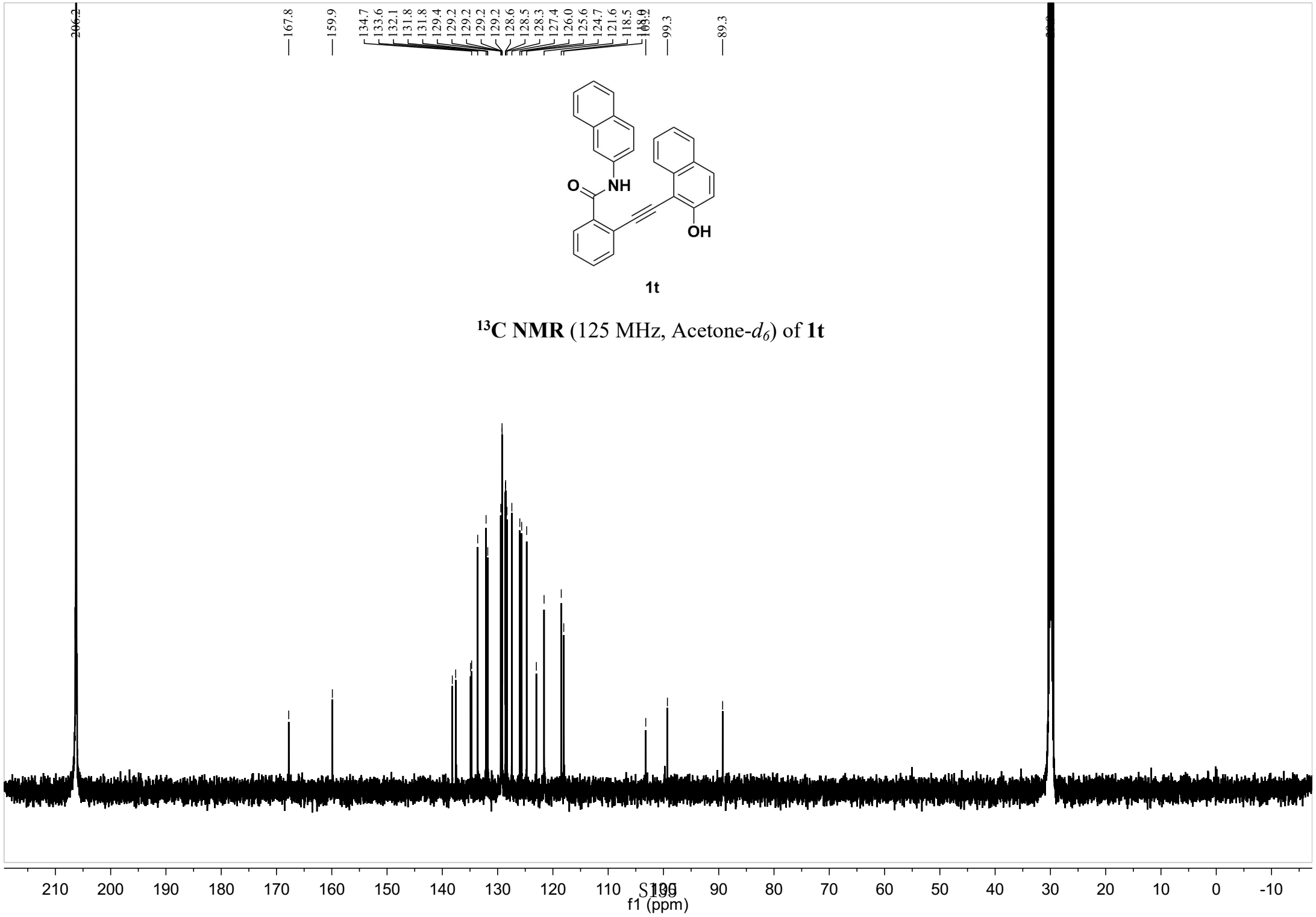


^{13}C NMR (125 MHz, DMSO-d_6) of **1s**



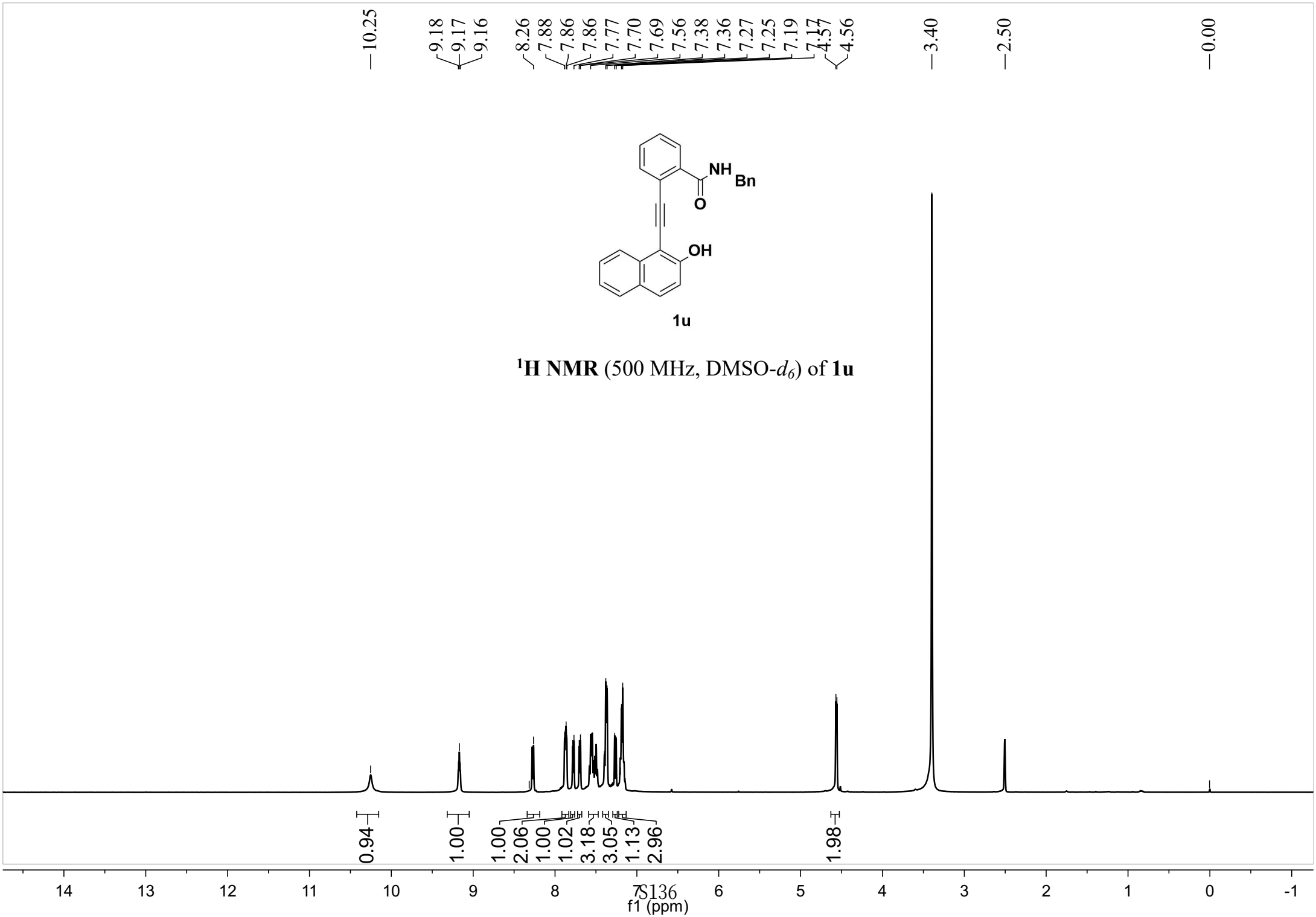
1t

^{1}H NMR (400 MHz, Acetone-d_6) of **1t**



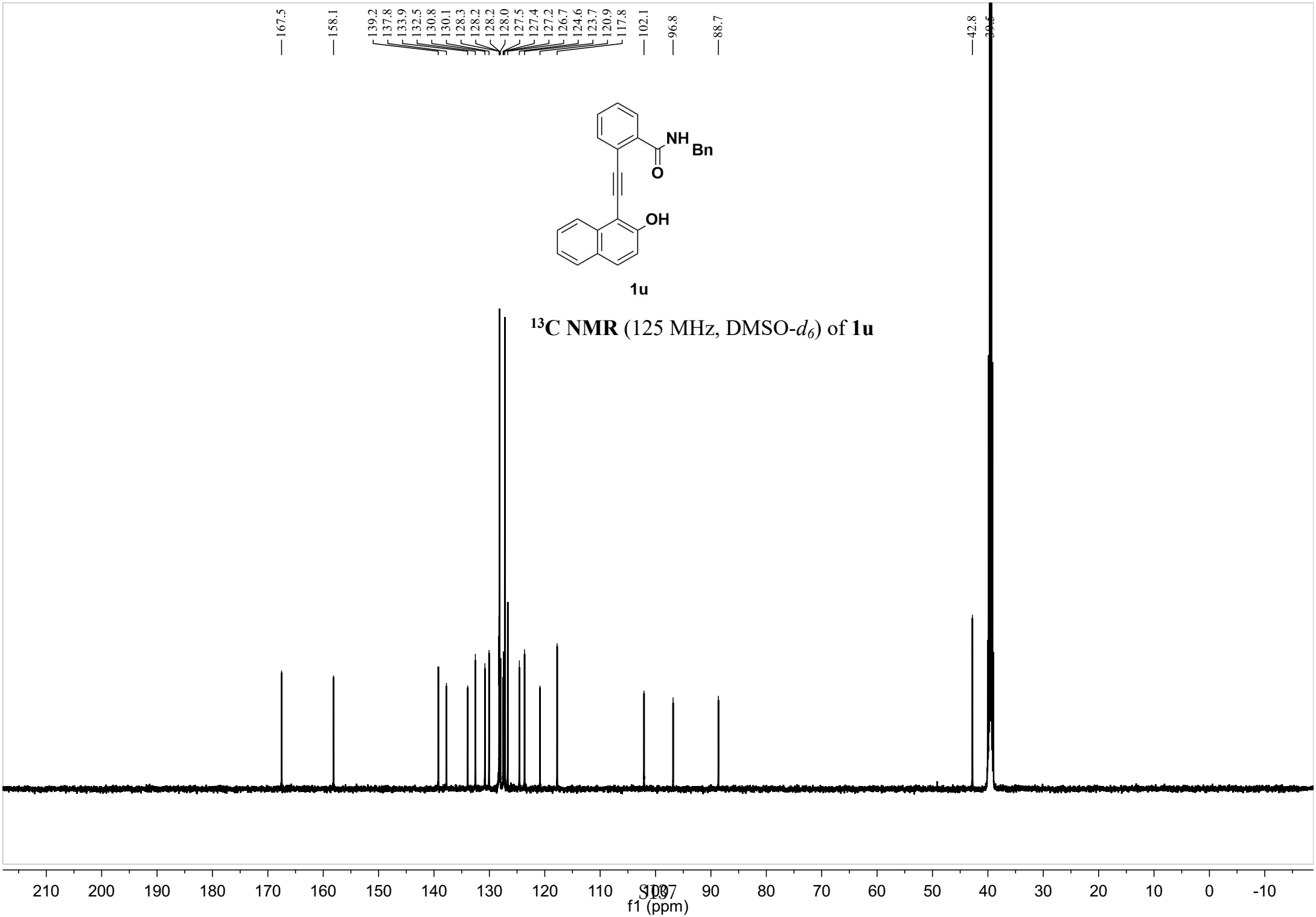
1t

^{13}C NMR (125 MHz, Acetone-d_6) of **1t**



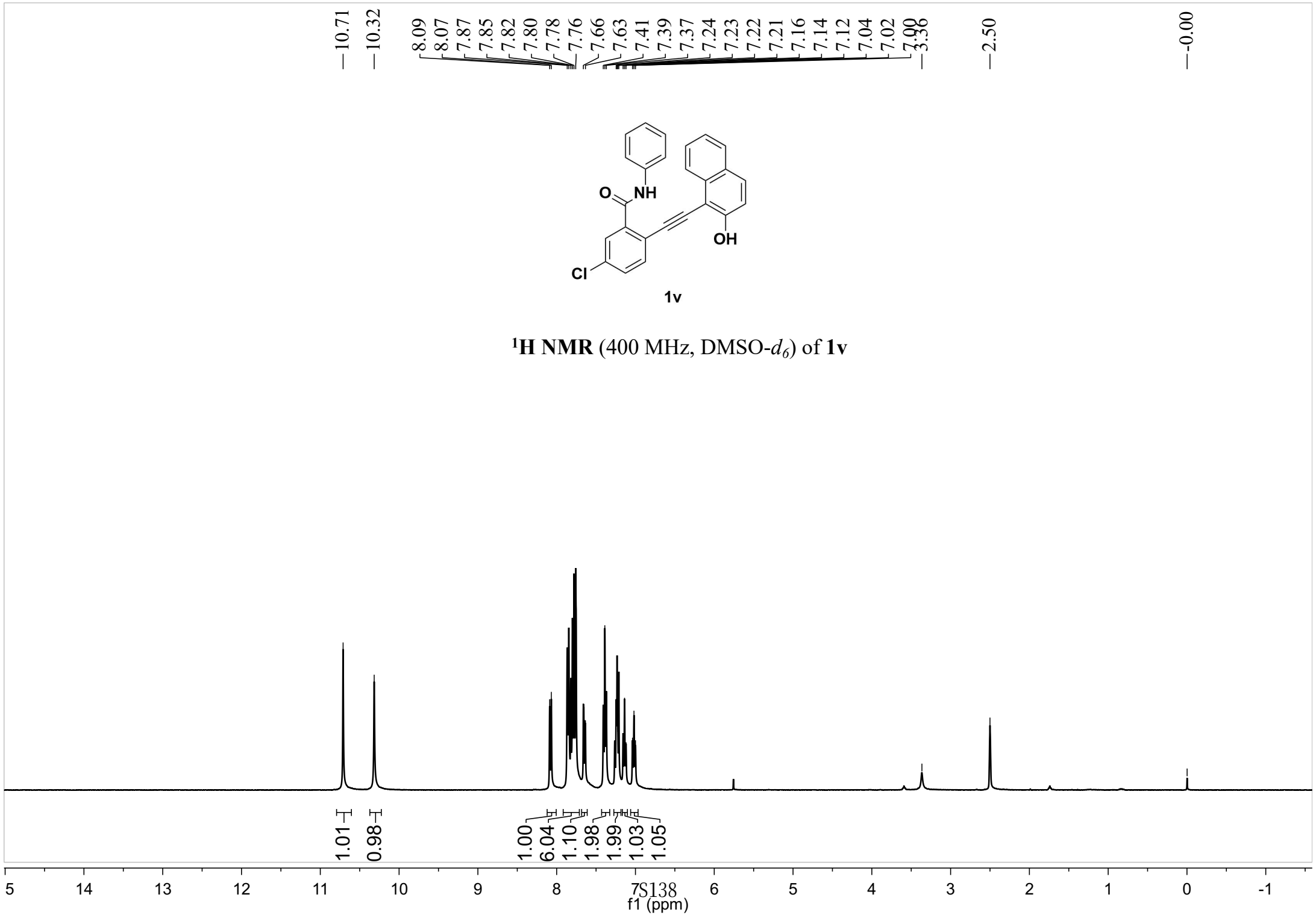
1u

^{1}H NMR (500 MHz, DMSO-d_6) of **1u**

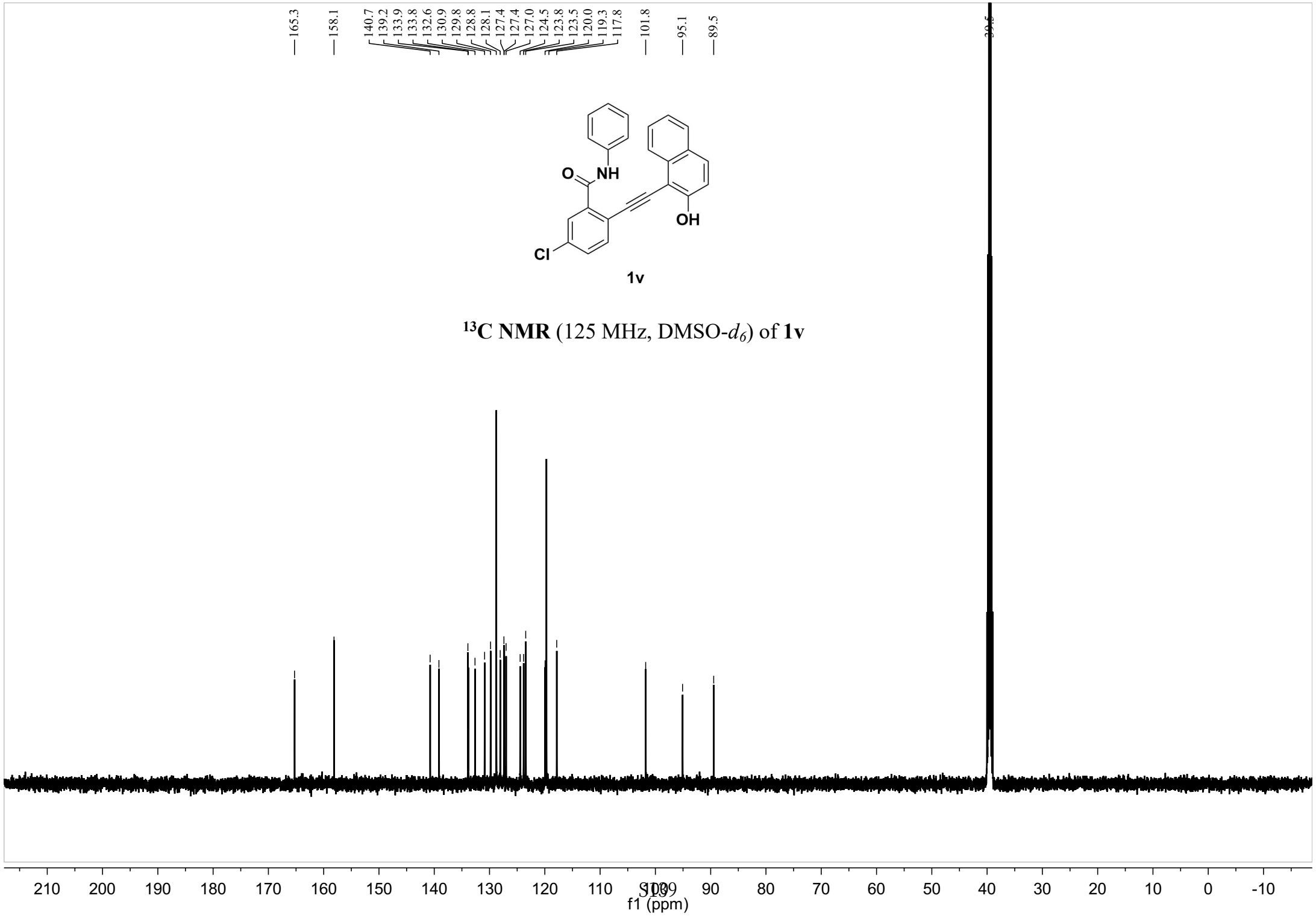


1u

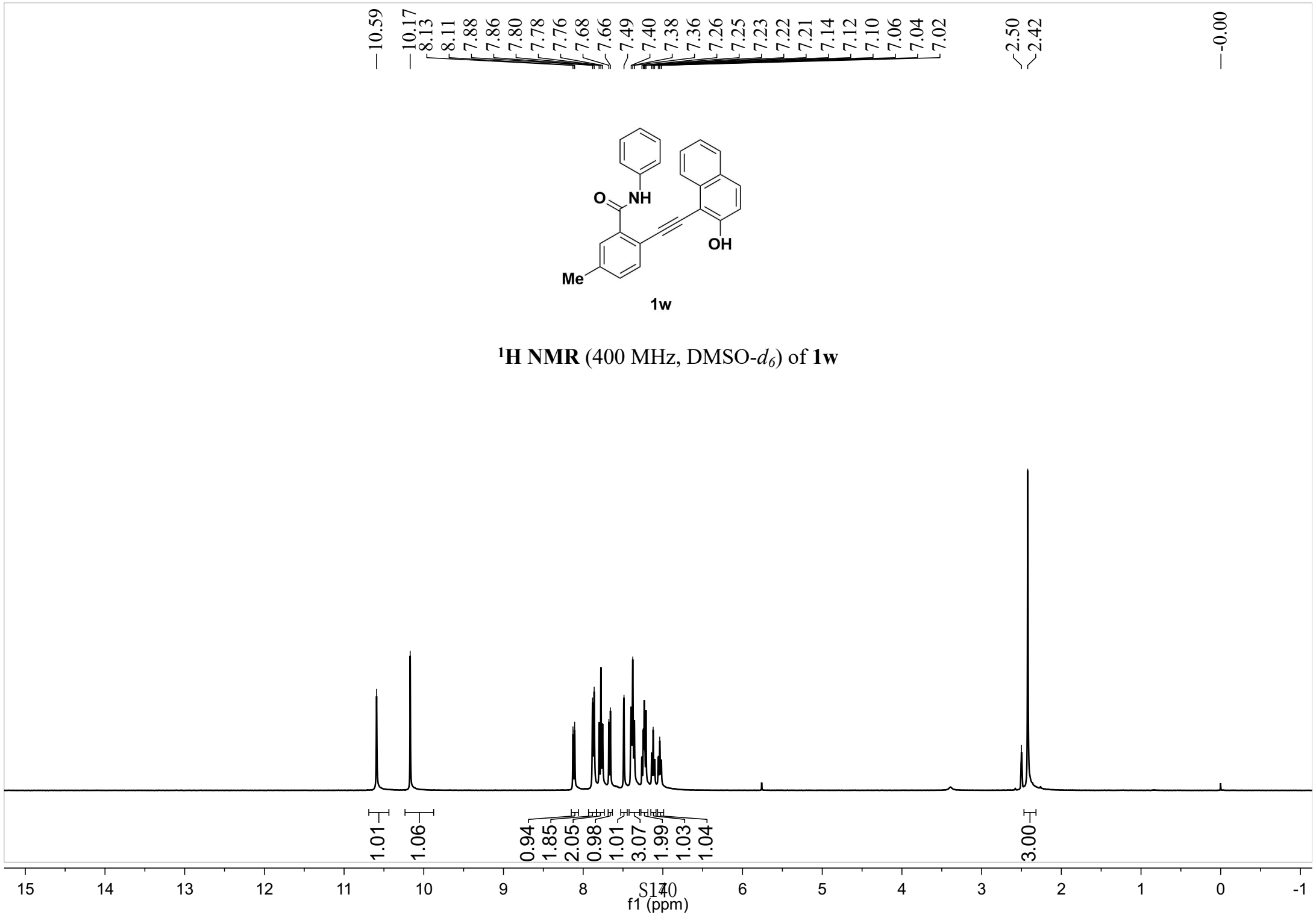
^{13}C NMR (125 MHz, DMSO-d_6) of **1u**



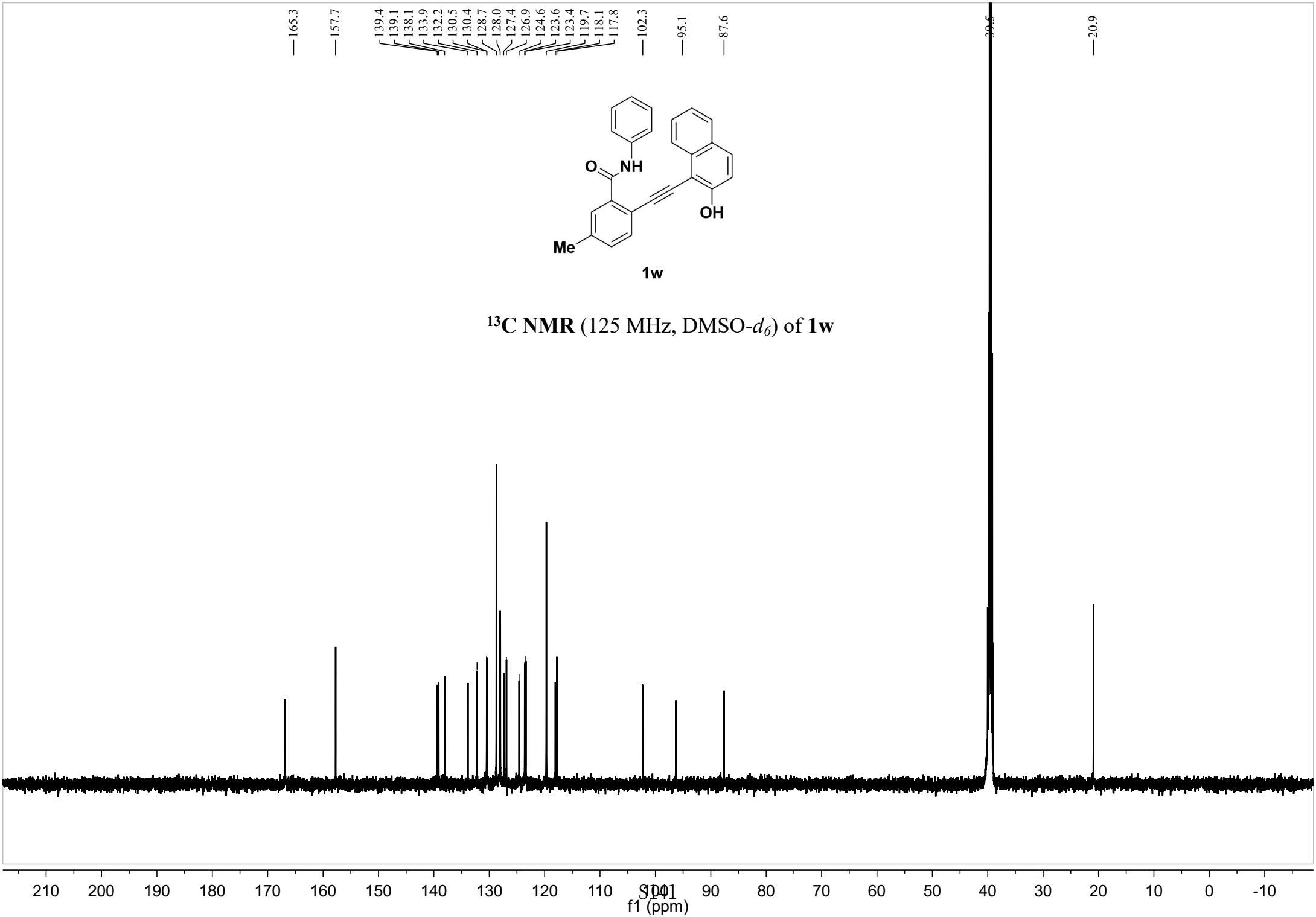
^{1}H NMR (400 MHz, DMSO-d_6) of **1v**



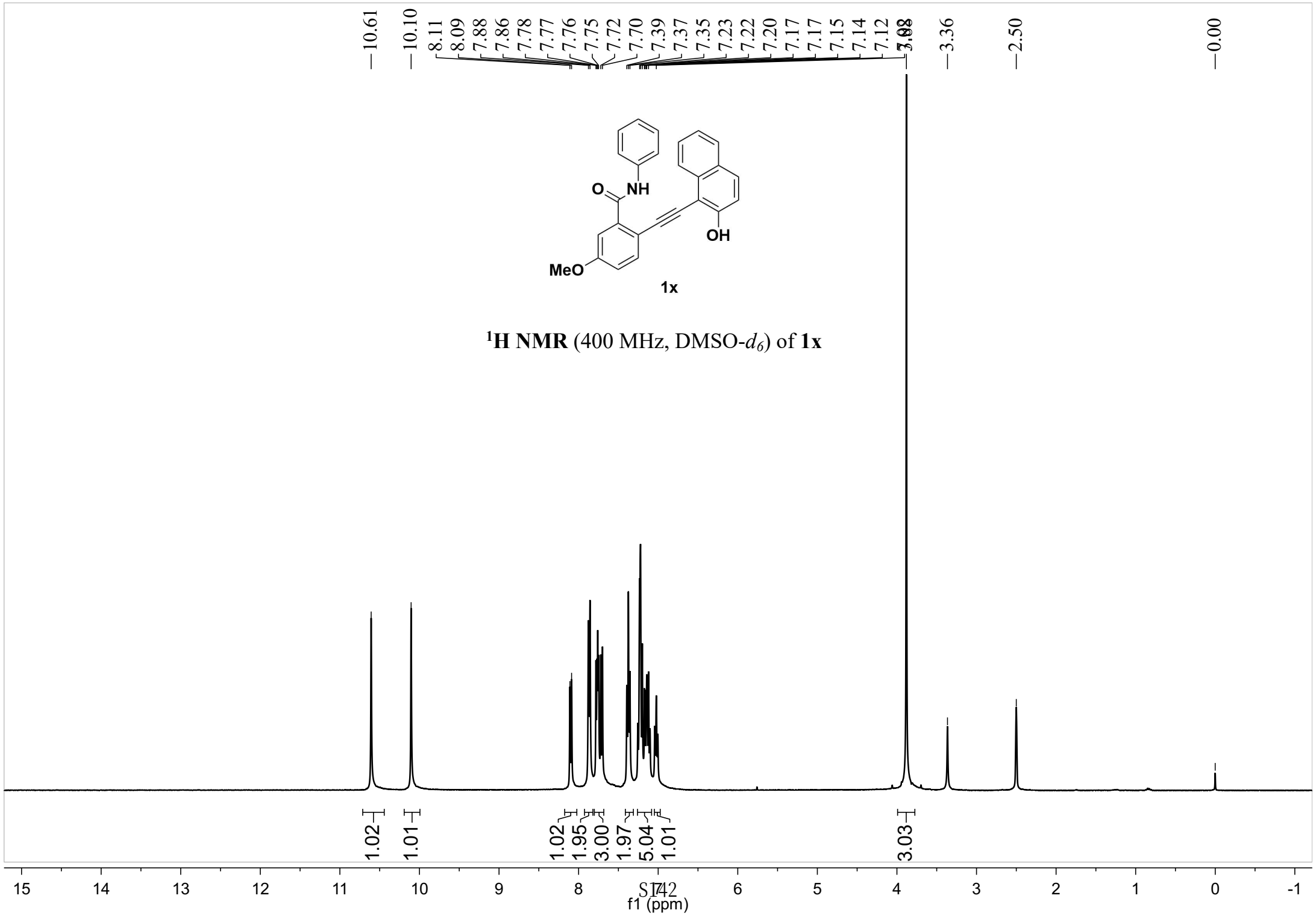
^{13}C NMR (125 MHz, DMSO-d_6) of **1v**



^{1}H NMR (400 MHz, DMSO-d_6) of **1w**

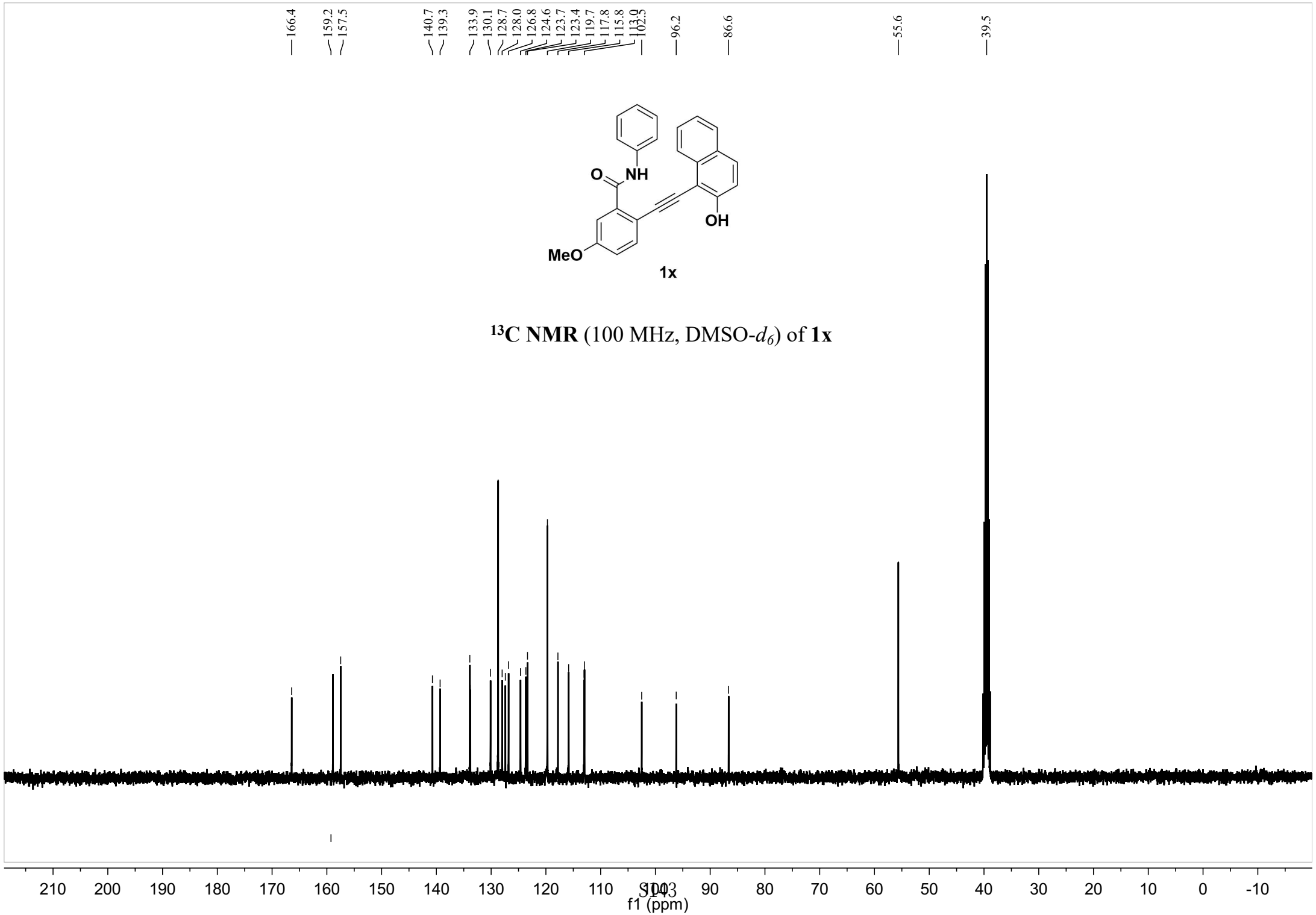


^{13}C NMR (125 MHz, DMSO-d_6) of **1w**

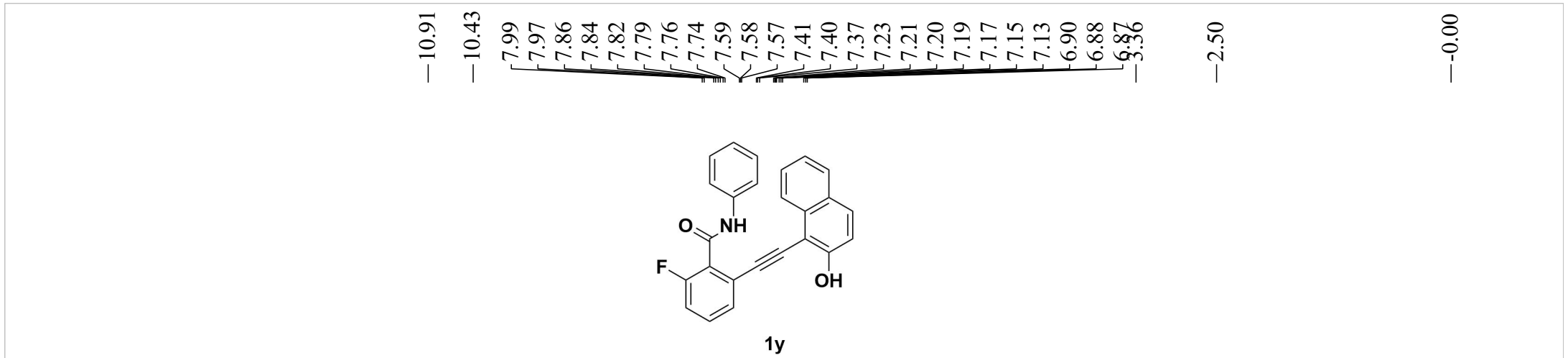


1x

^{1}H NMR (400 MHz, DMSO-d_6) of **1x**

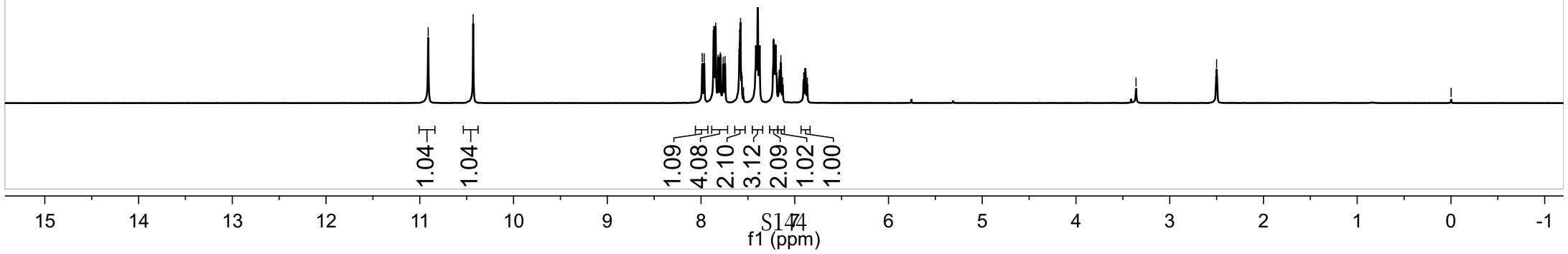


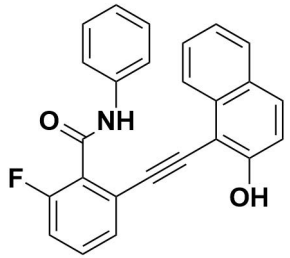
^{13}C NMR (100 MHz, DMSO-d_6) of **1x**



1y

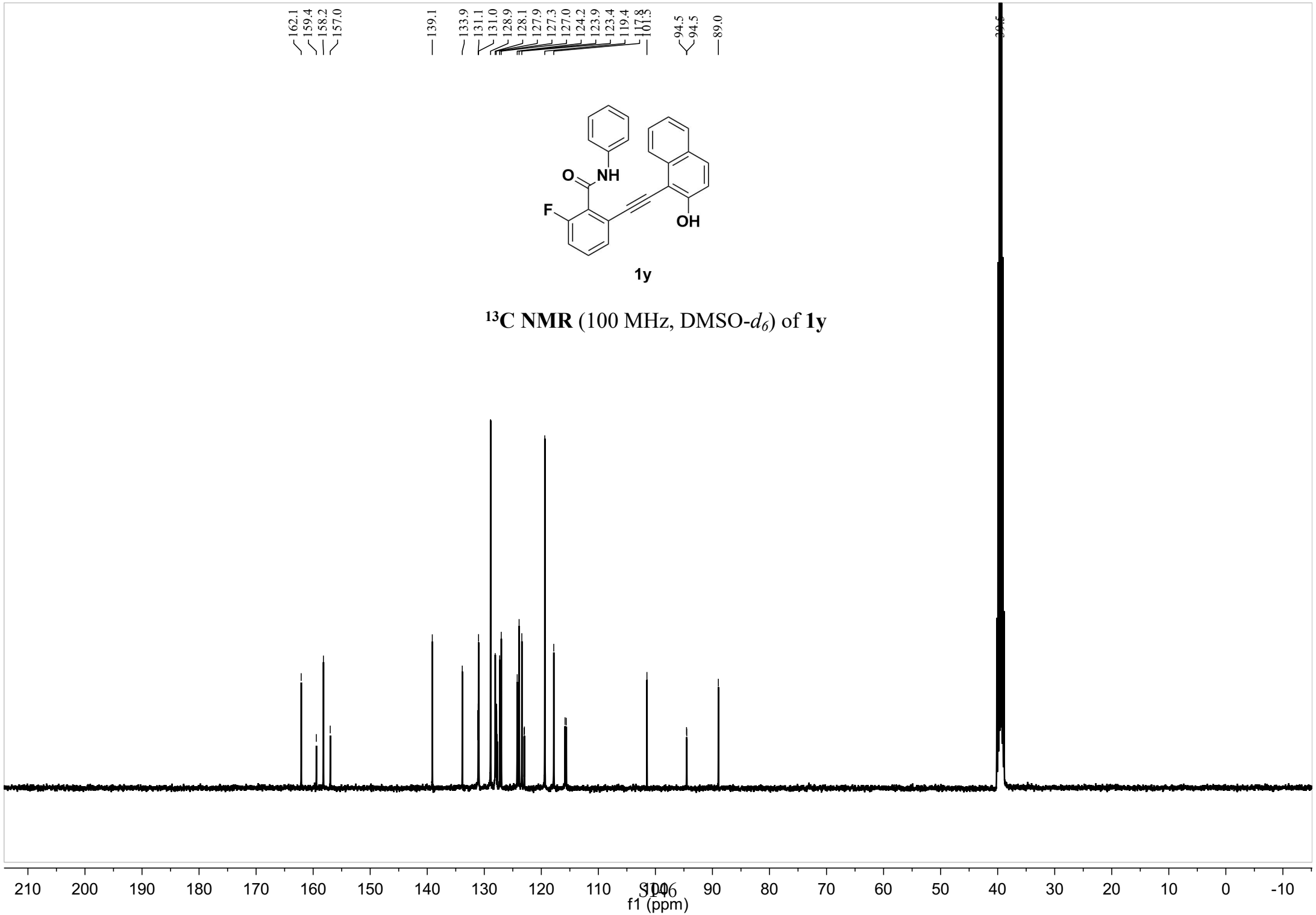
^{1}H NMR (400 MHz, DMSO-d_6) of **1y**





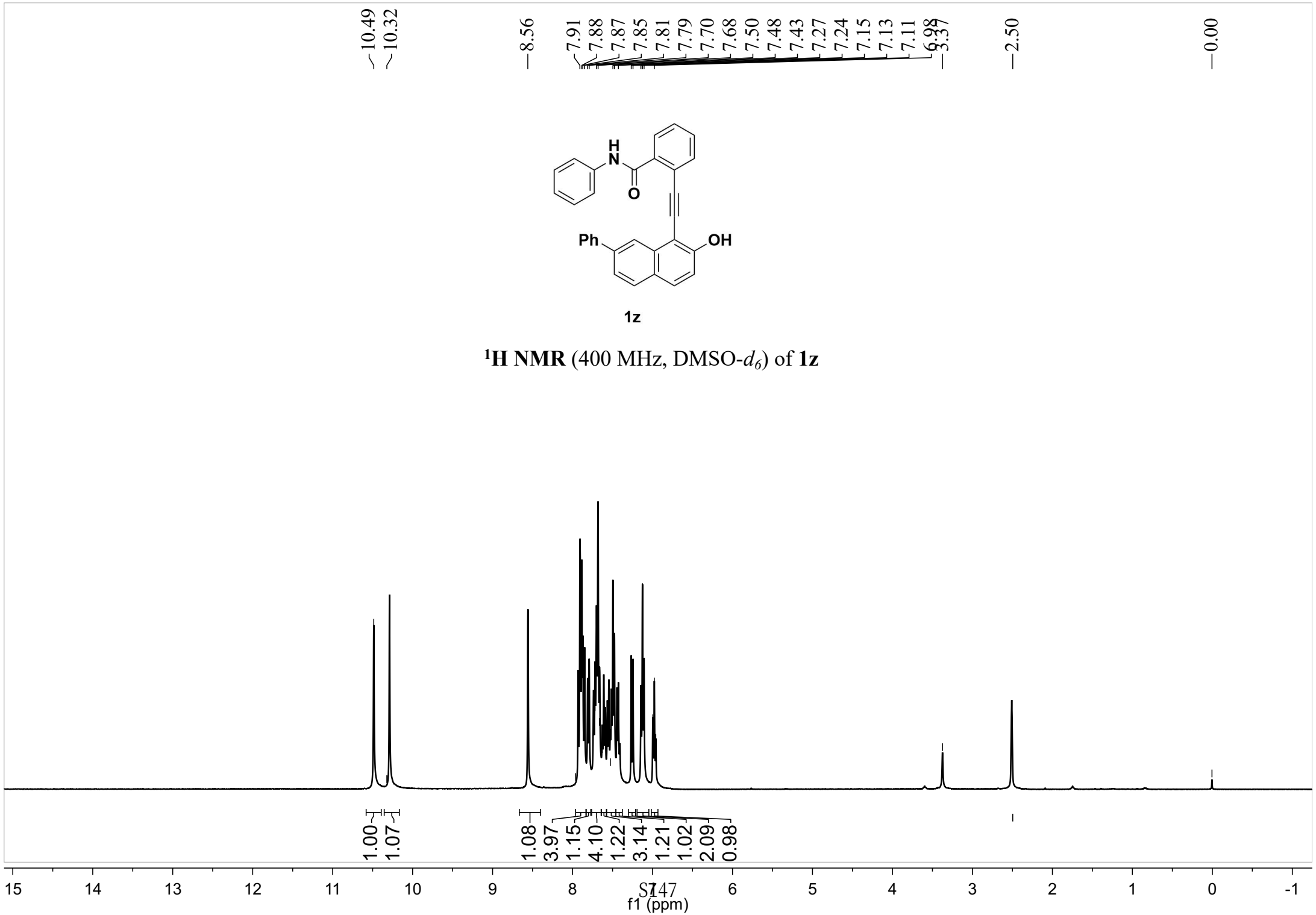
1y

^{19}F NMR (376 MHz, DMSO-d_6) of **1y**



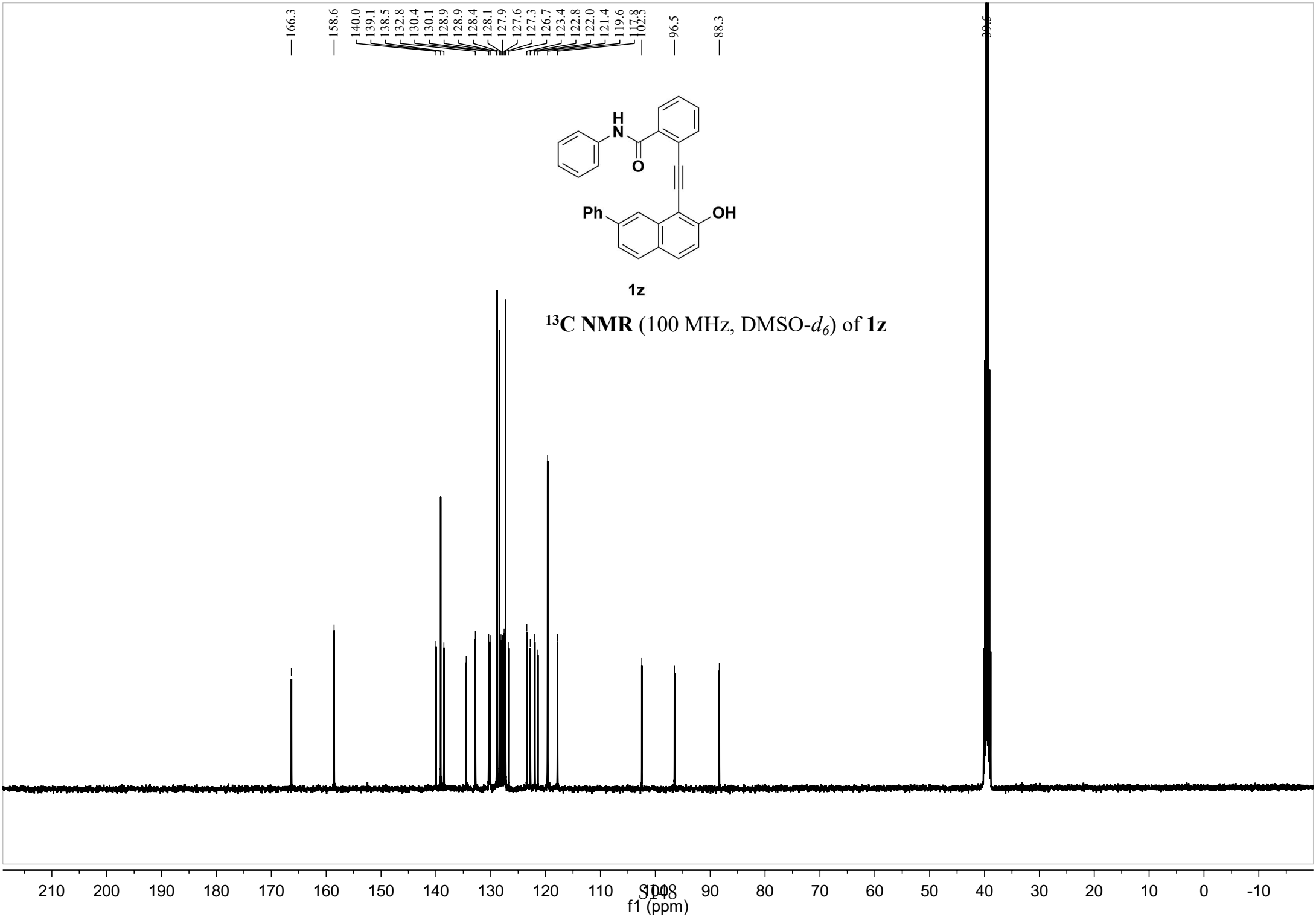
1y

^{13}C NMR (100 MHz, DMSO-d_6) of **1y**



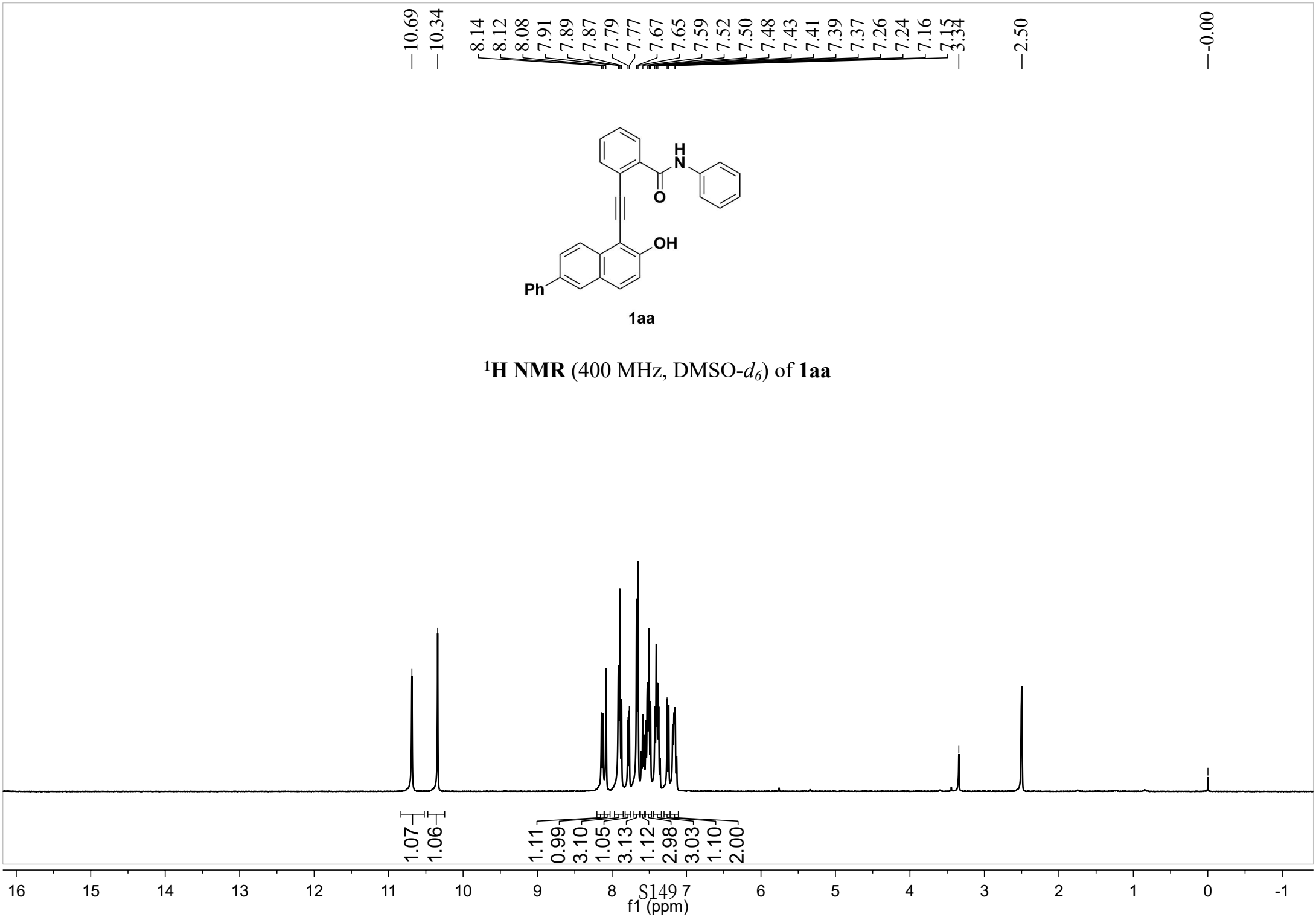
1z

^{1}H NMR (400 MHz, DMSO-d_6) of **1z**



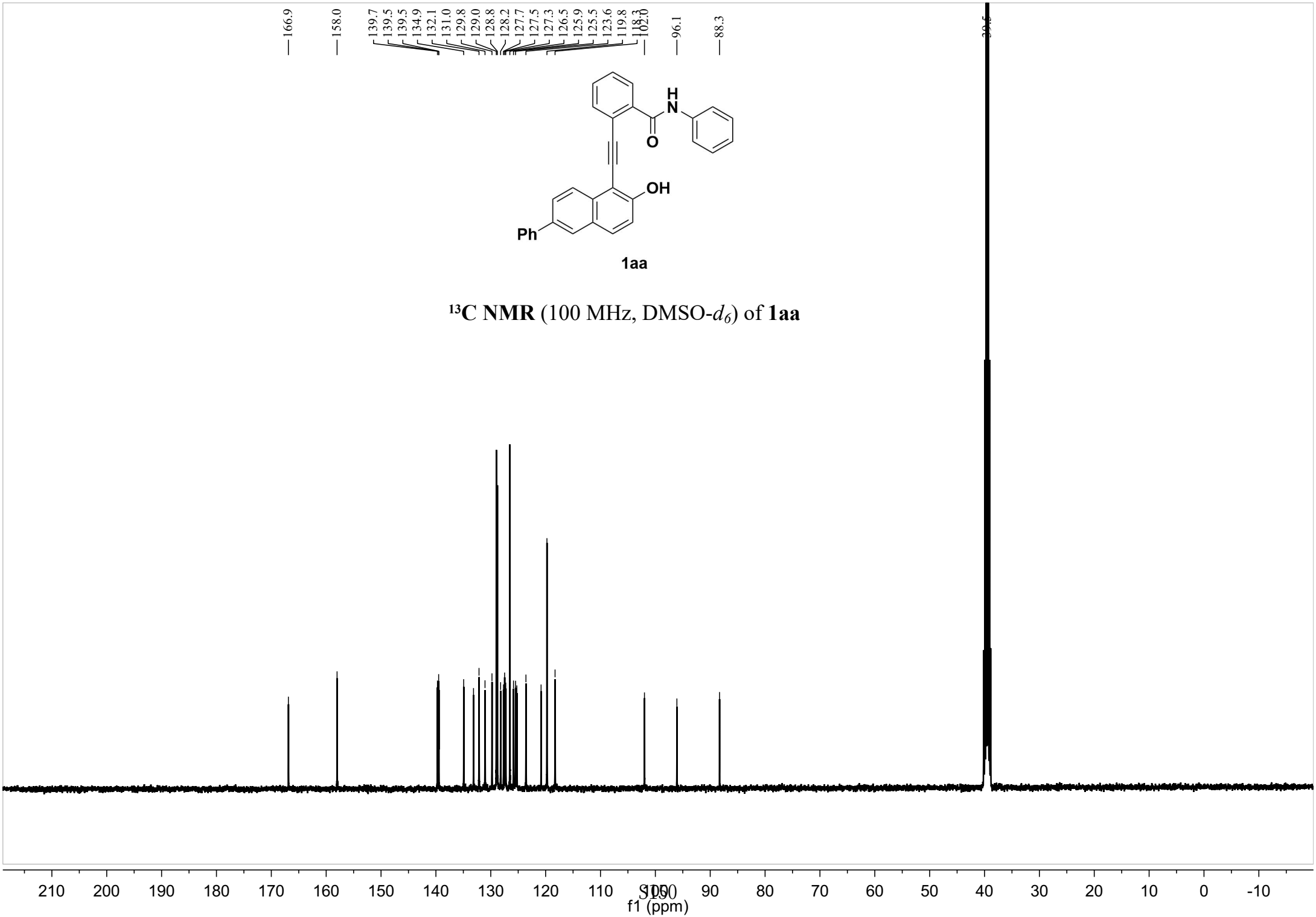
1z

^{13}C NMR (100 MHz, DMSO-d_6) of **1z**

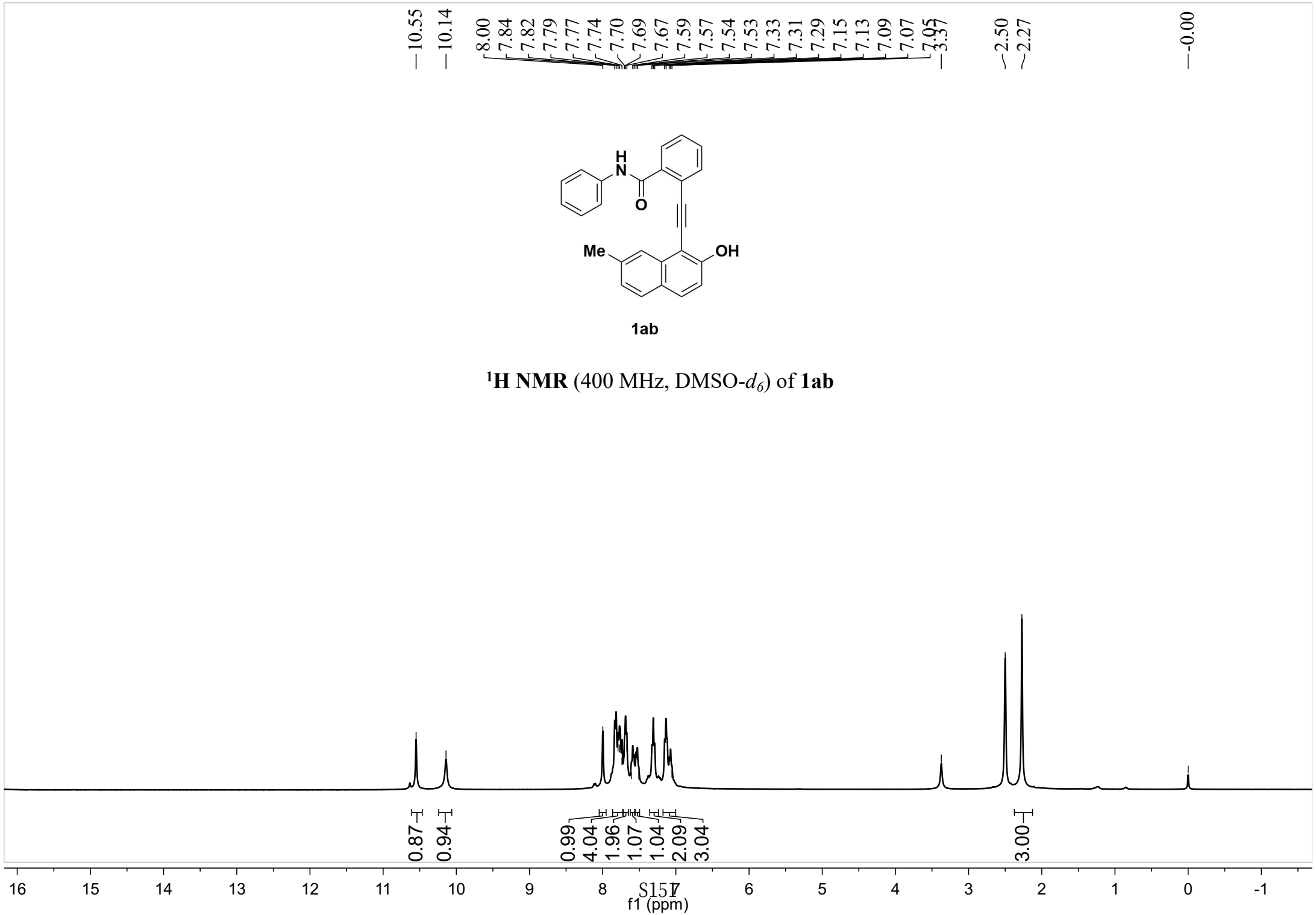


1aa

^{1}H NMR (400 MHz, DMSO-d_6) of **1aa**

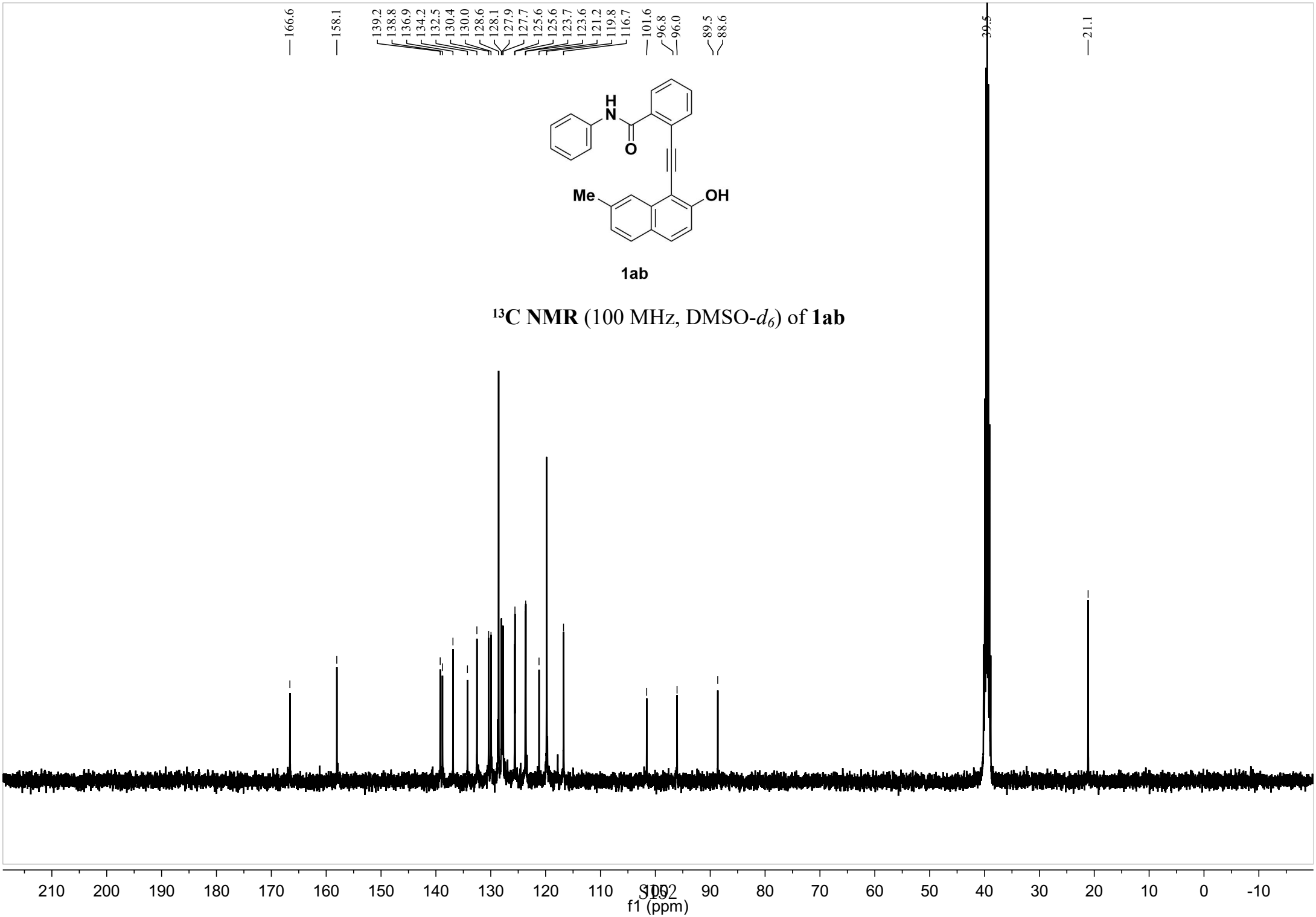


^{13}C NMR (100 MHz, DMSO-d_6) of **1aa**

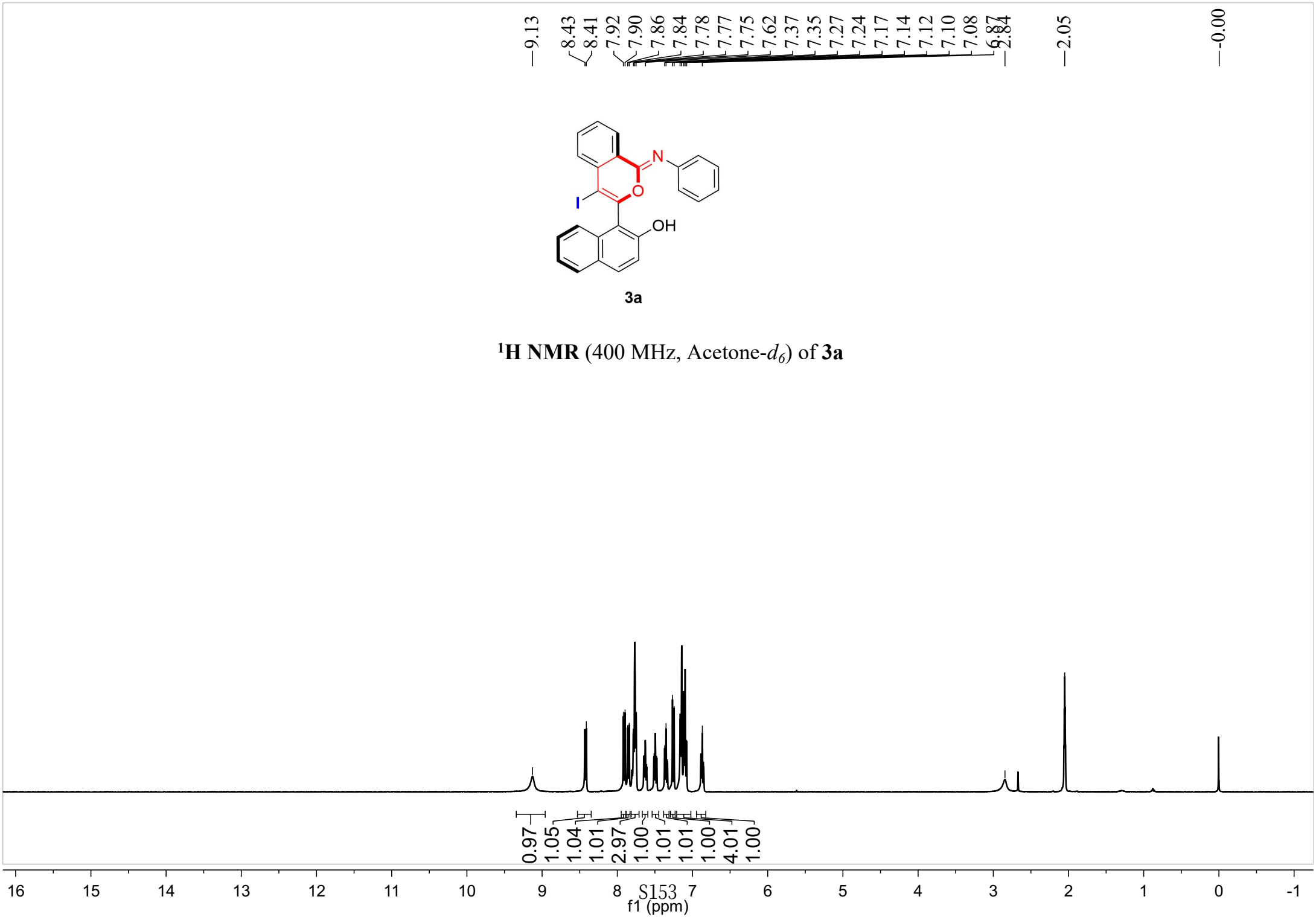


1ab

^{1}H NMR (400 MHz, DMSO-d_6) of **1ab**

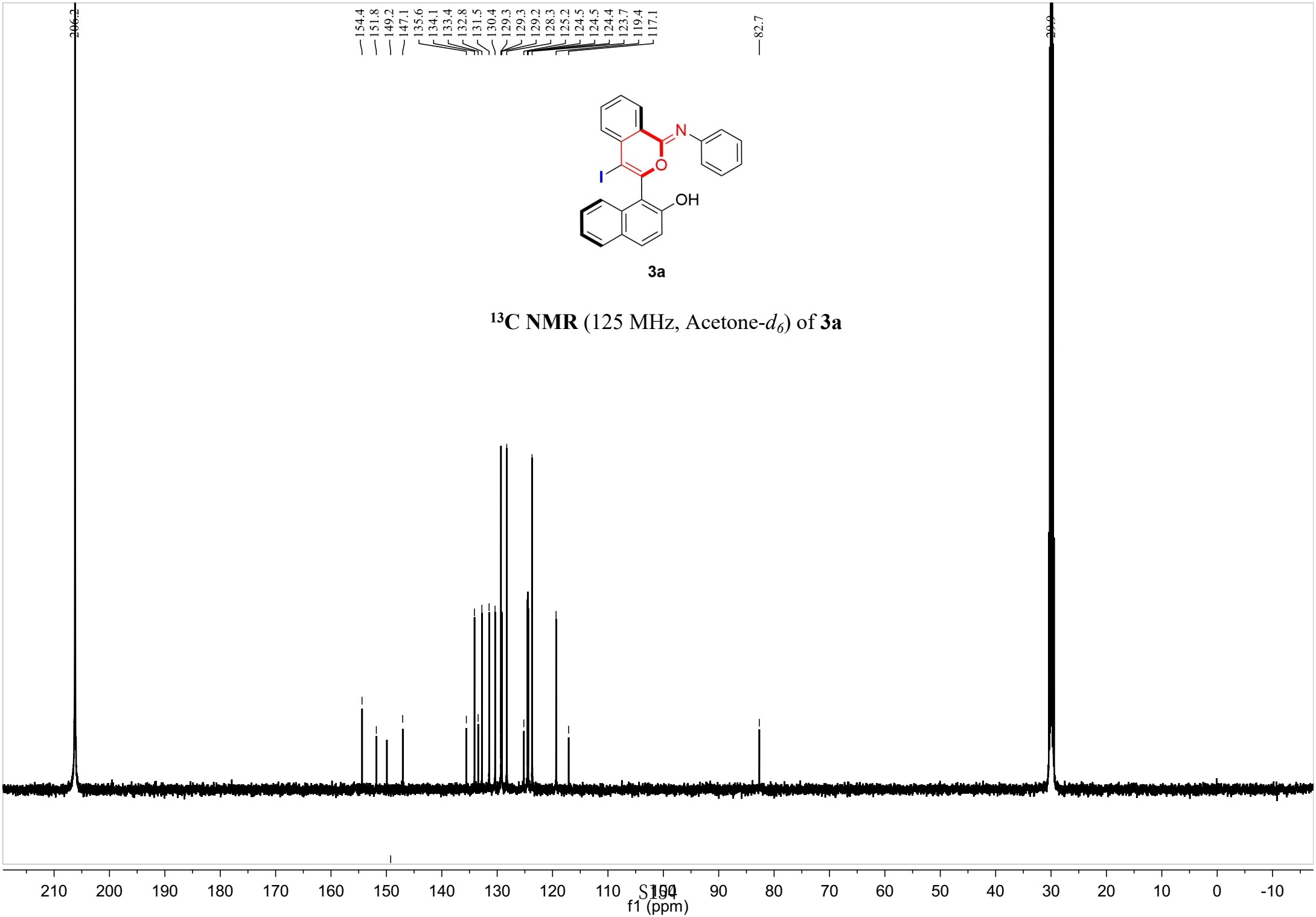


^{13}C NMR (100 MHz, DMSO-d_6) of **1ab**



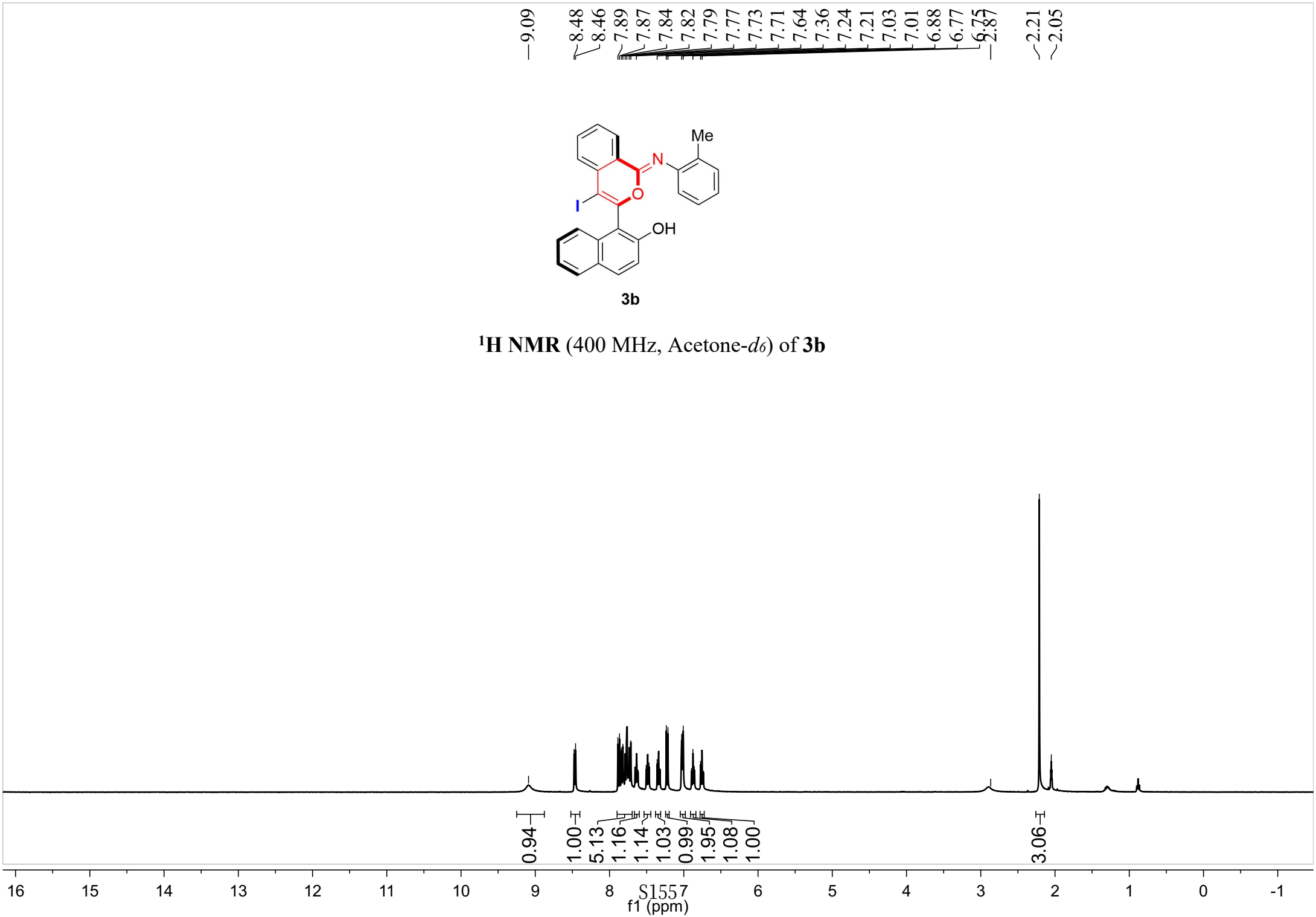
3a

^{1}H NMR (400 MHz, Acetone-d_6) of **3a**



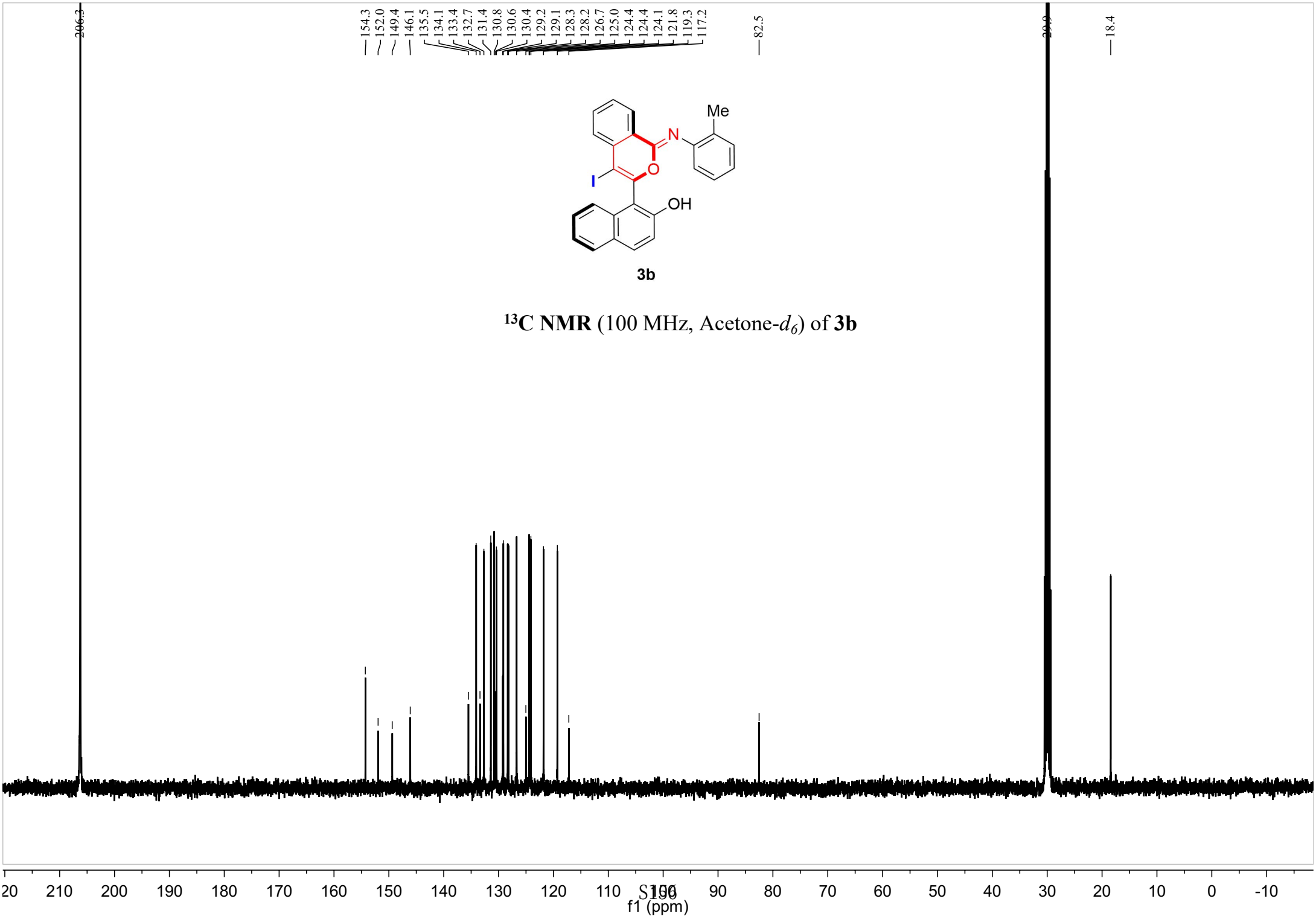
3a

^{13}C NMR (125 MHz, Acetone-d_6) of **3a**



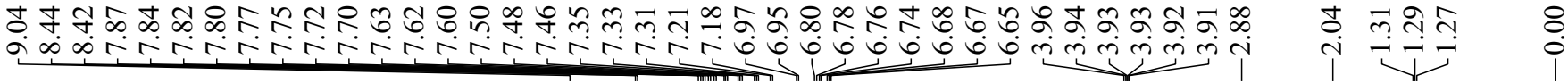
3b

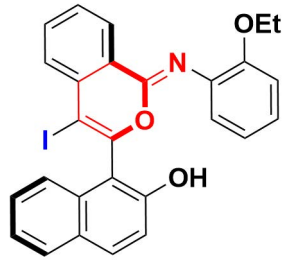
^{1}H NMR (400 MHz, Acetone-*d*$_6$) of **3b**



3b

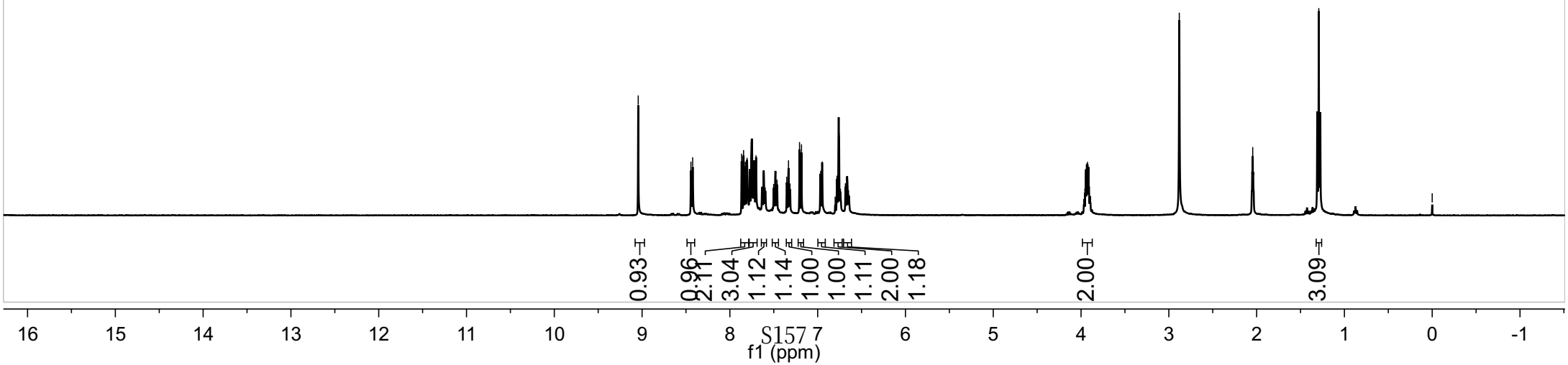
^{13}C NMR (100 MHz, Acetone-d_6) of **3b**

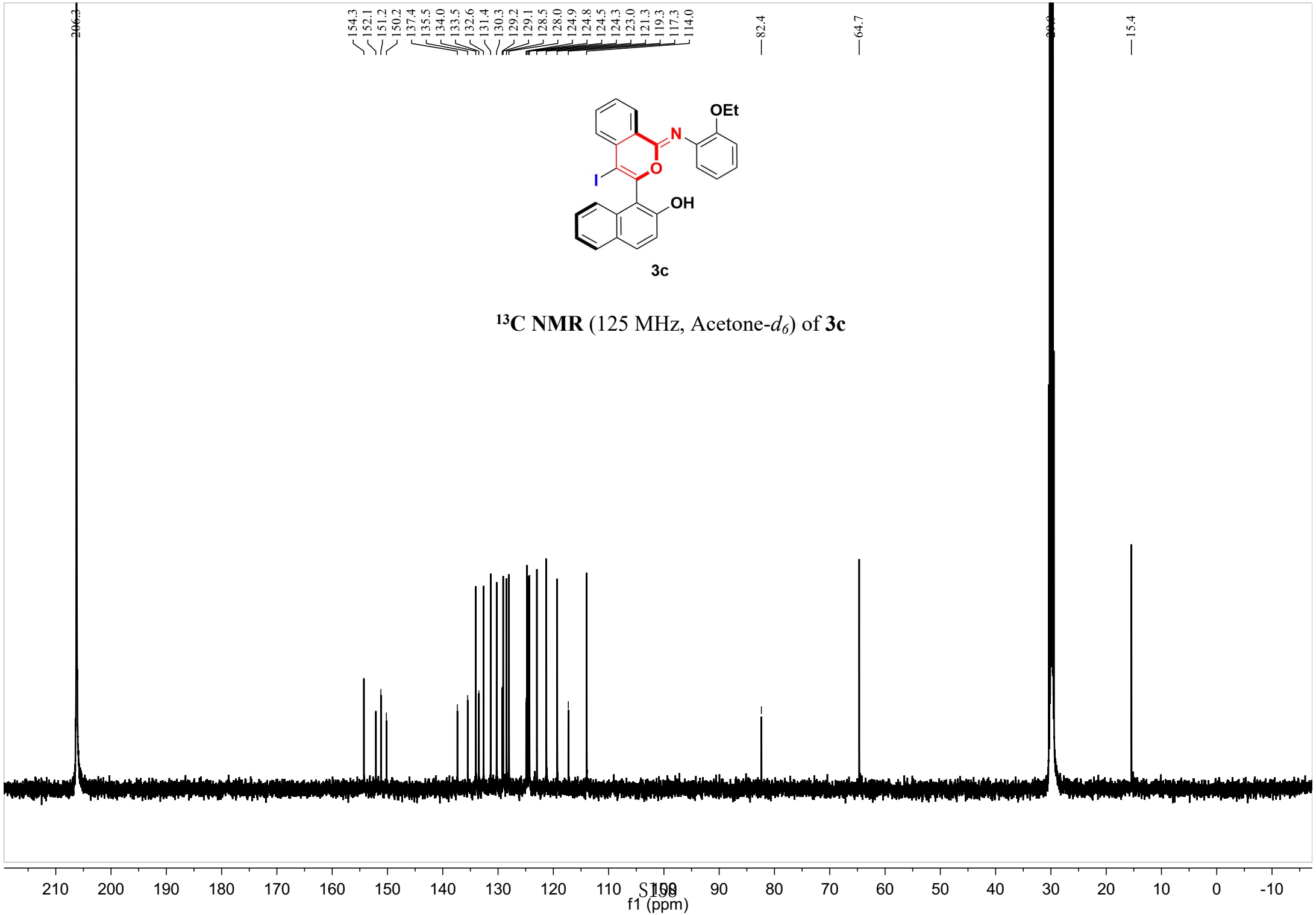




3c

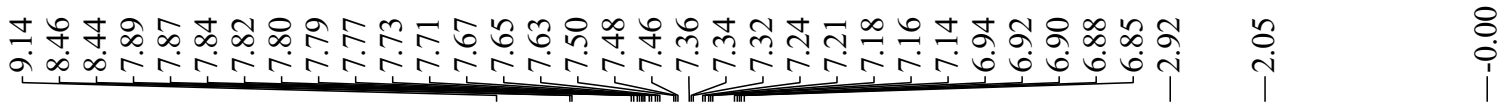
^{1}H NMR (400 MHz, Acetone-d_6) of **3c**

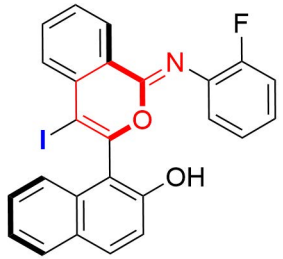




3c

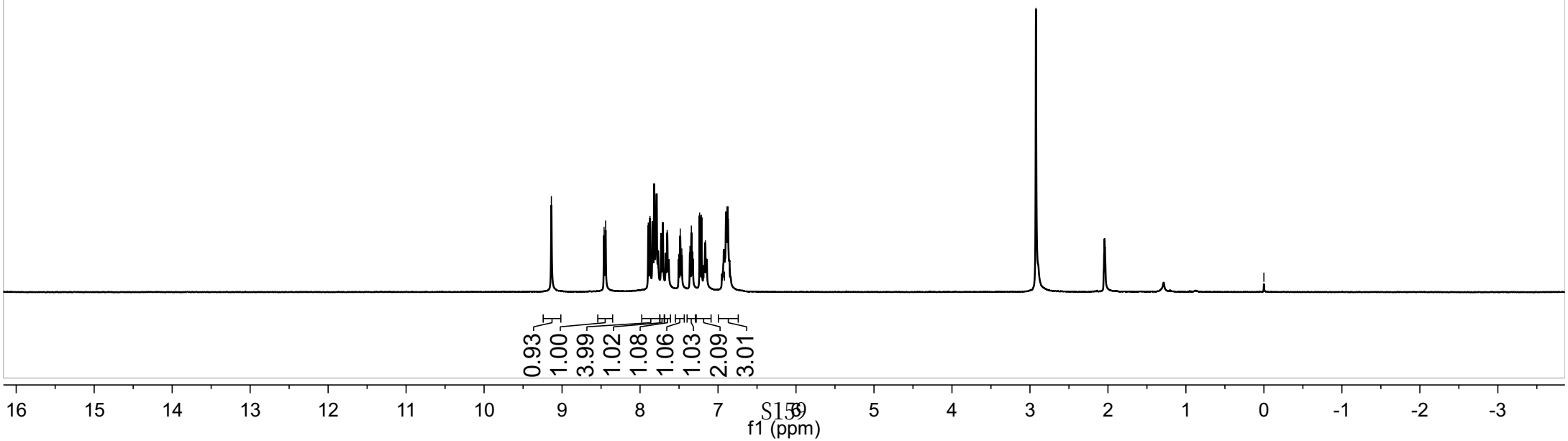
^{13}C NMR (125 MHz, Acetone-d_6) of **3c**

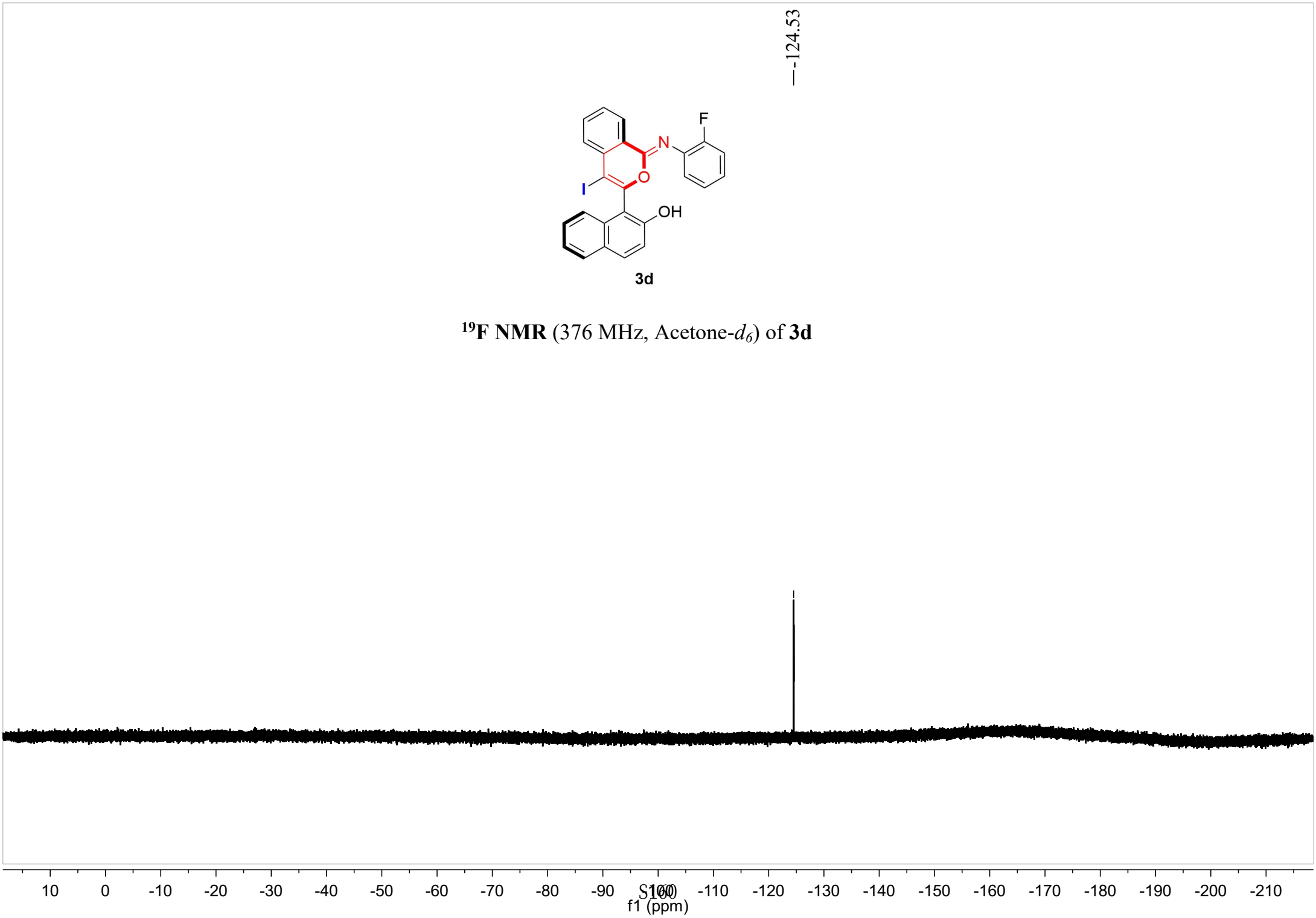




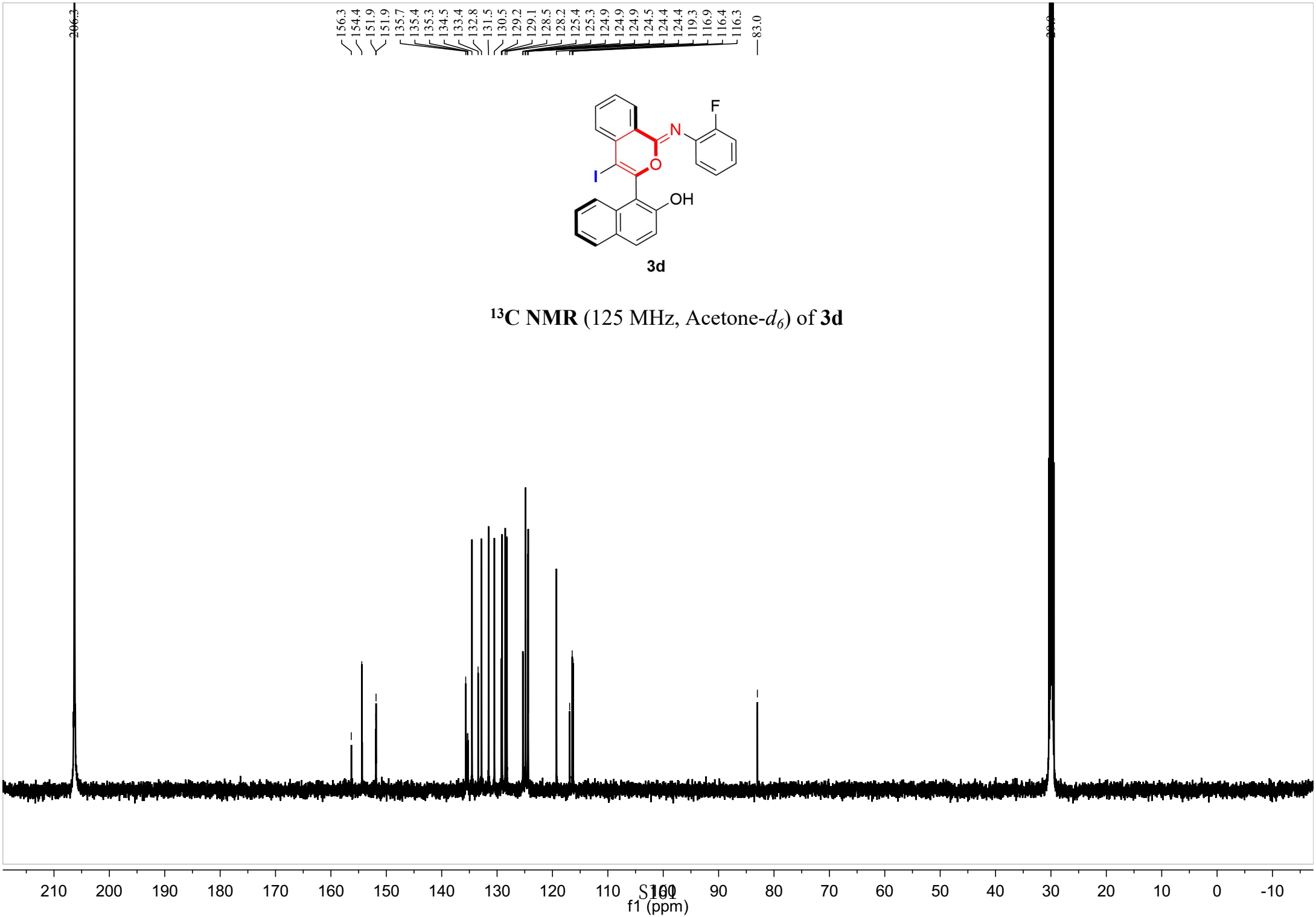
3d

^{1}H NMR (400 MHz, Acetone-d_6) of **3d**



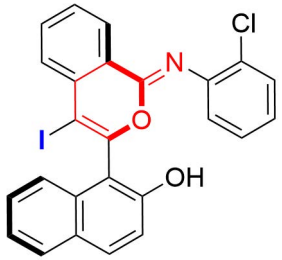


^{19}F NMR (376 MHz, Acetone-d_6) of **3d**



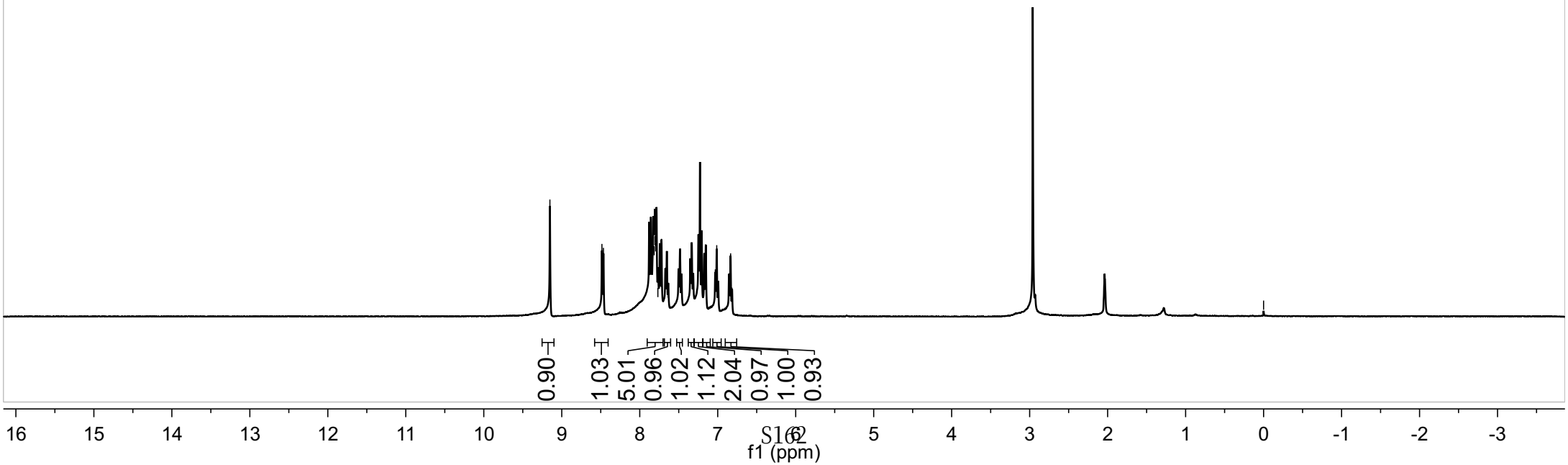
3d

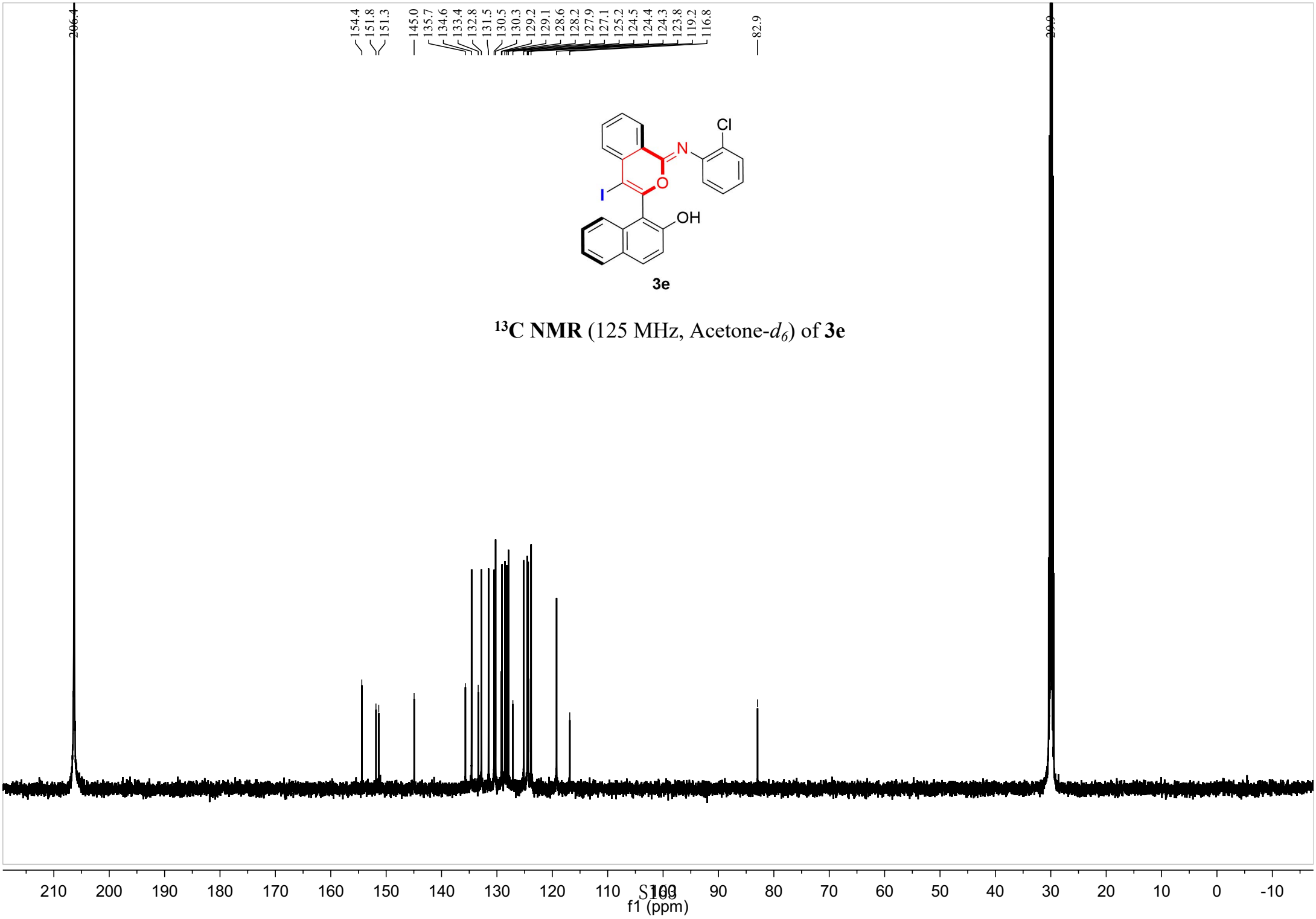
^{13}C NMR (125 MHz, Acetone-d_6) of **3d**



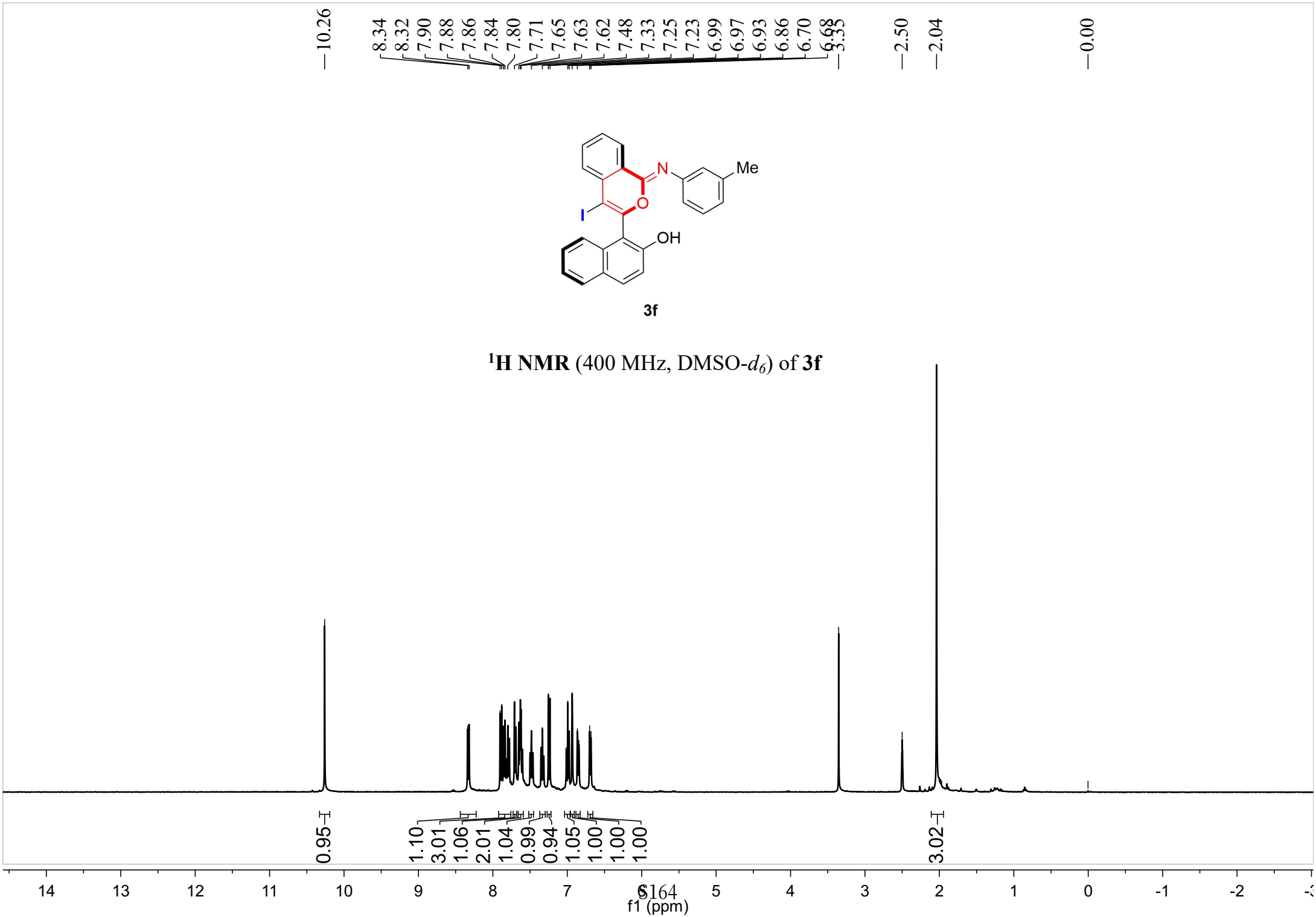
3e

^{1}H NMR (400 MHz, Acetone-d_6) of **3e**



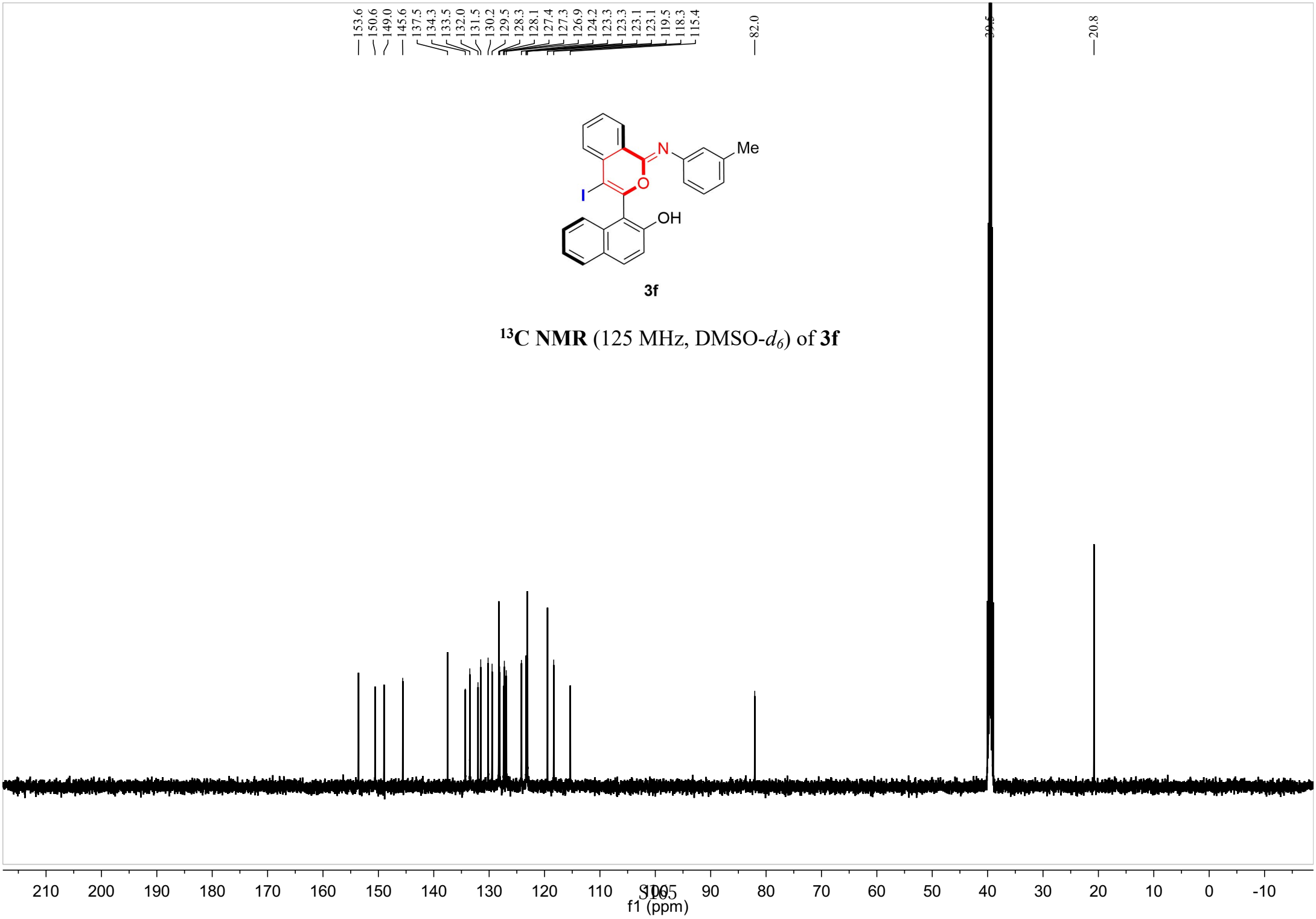


^{13}C NMR (125 MHz, Acetone-d_6) of **3e**



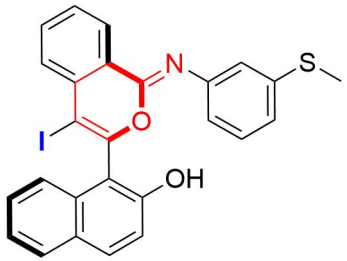
3f

^{1}H NMR (400 MHz, DMSO-d_6) of **3f**



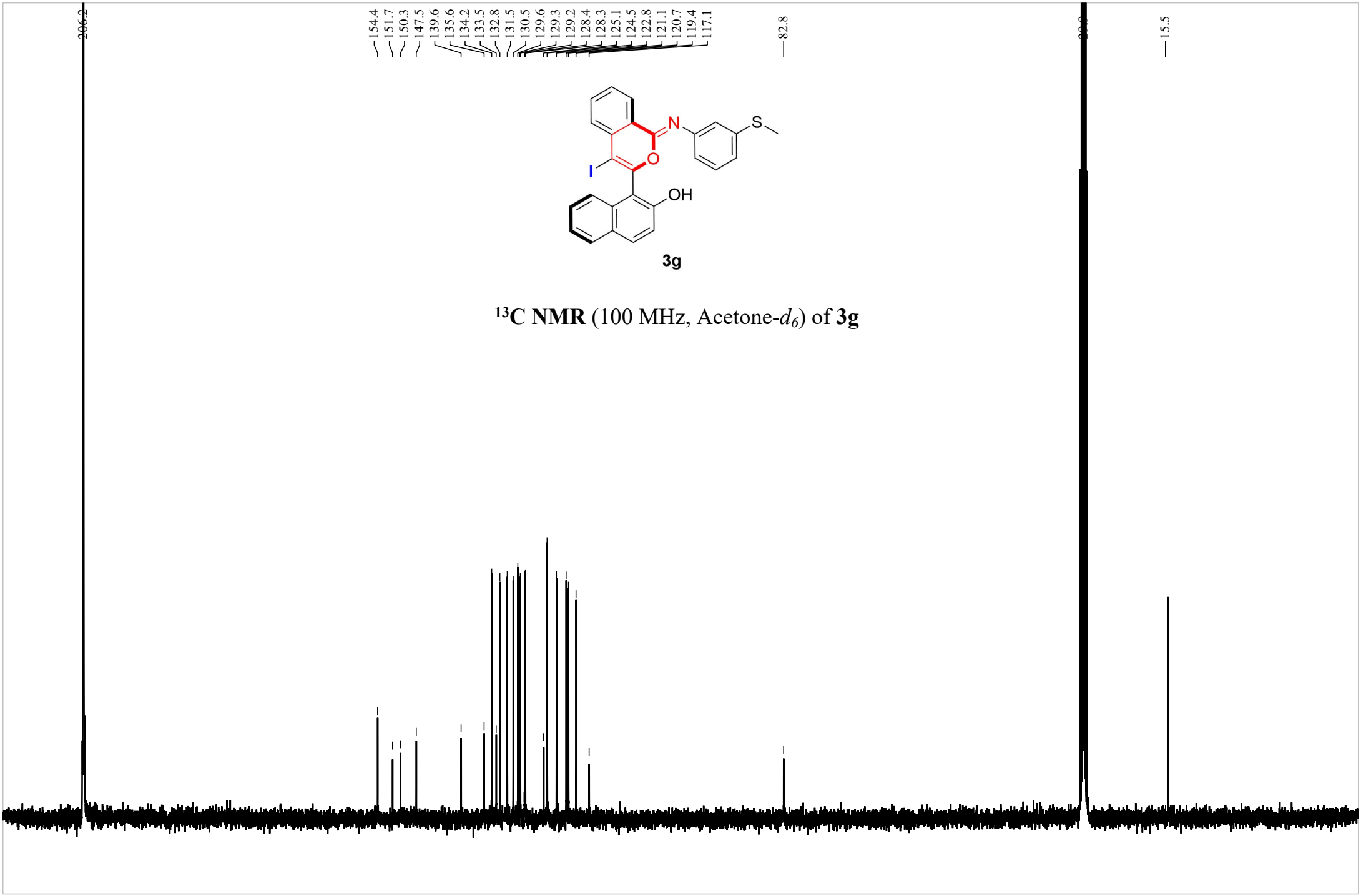
3f

^{13}C NMR (125 MHz, DMSO-d_6) of **3f**

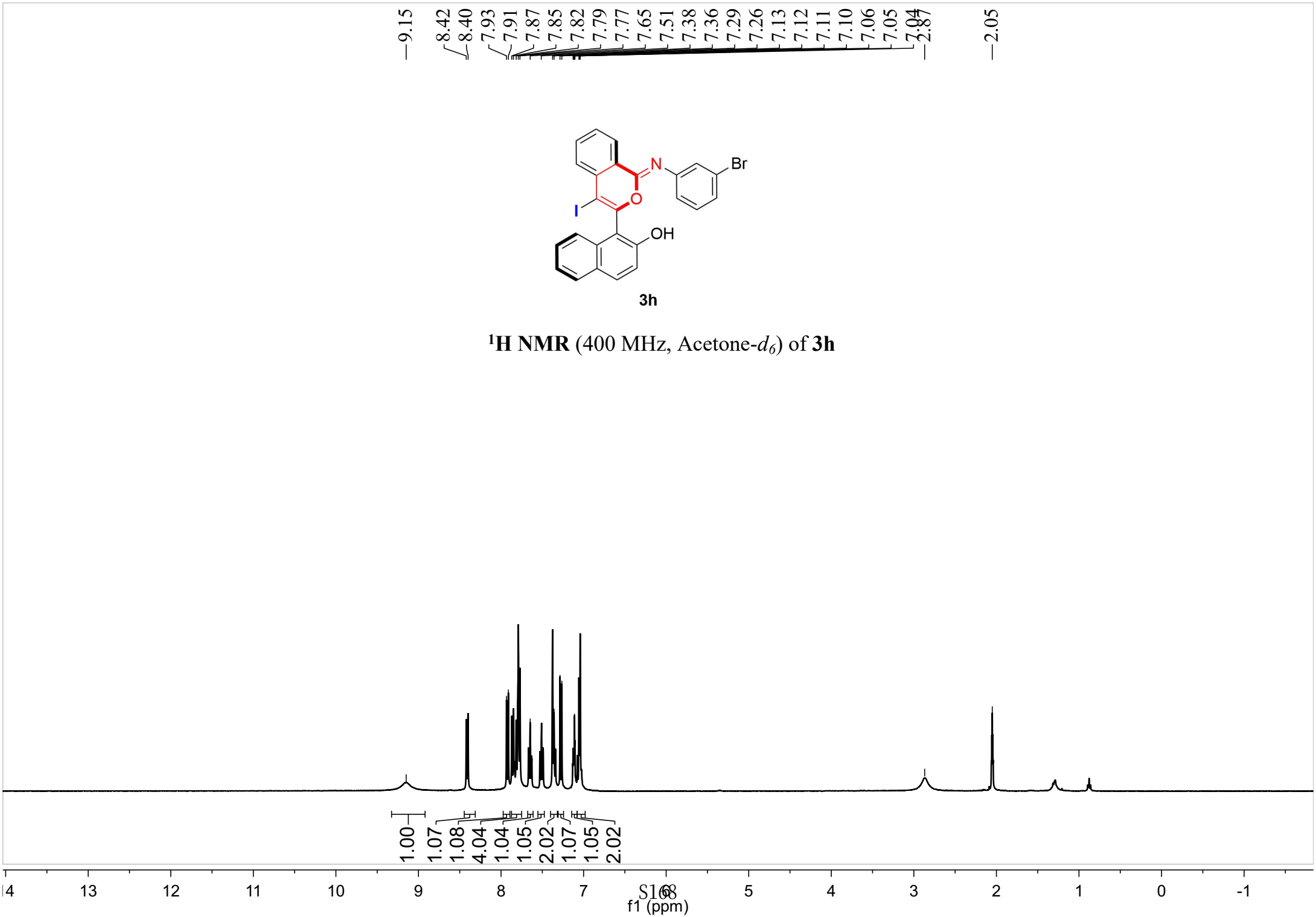


3g

^{1}H NMR (400 MHz, Acetone-d_6) of **3g**

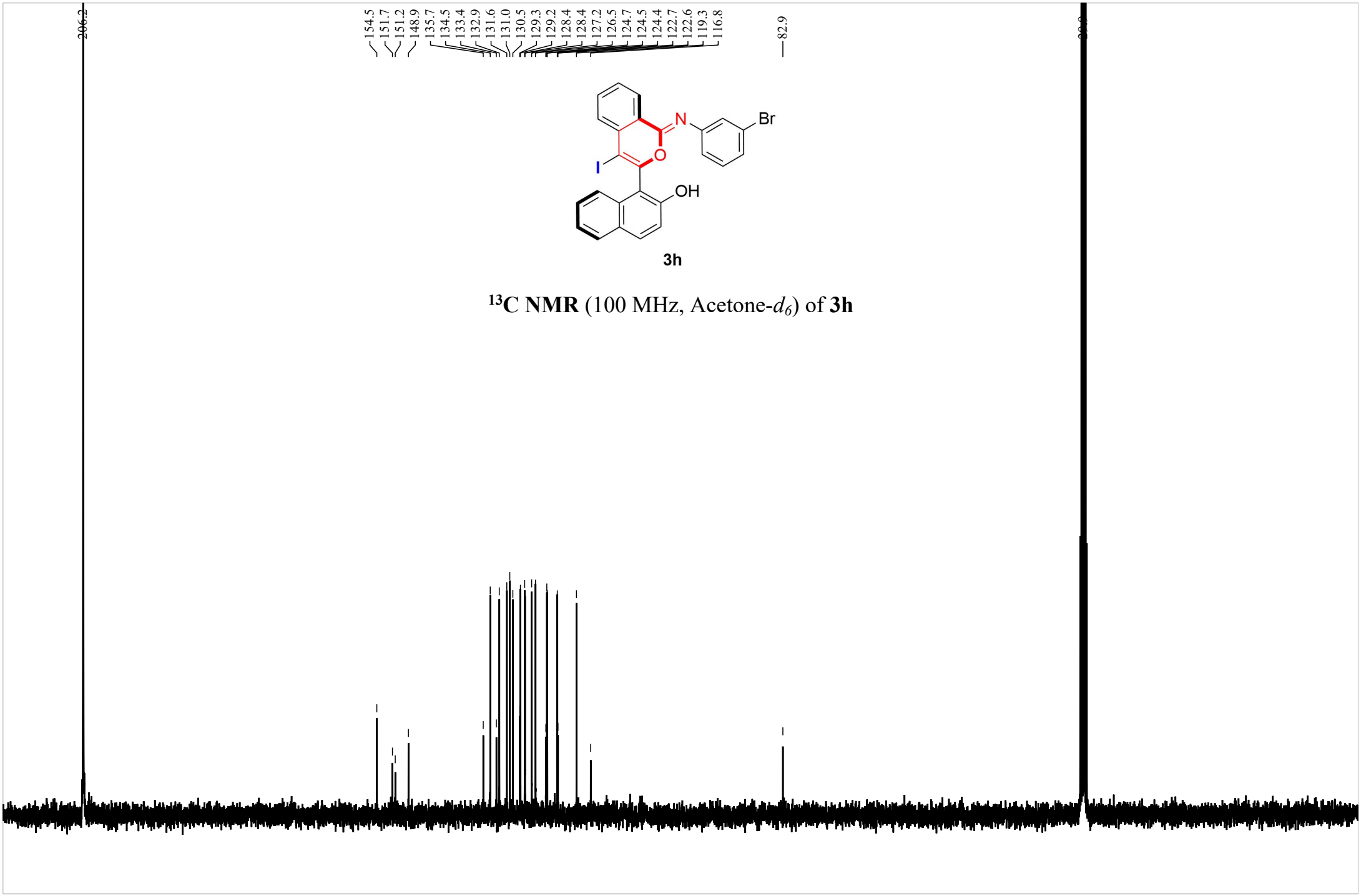


^{13}C NMR (100 MHz, Acetone-d_6) of **3g**



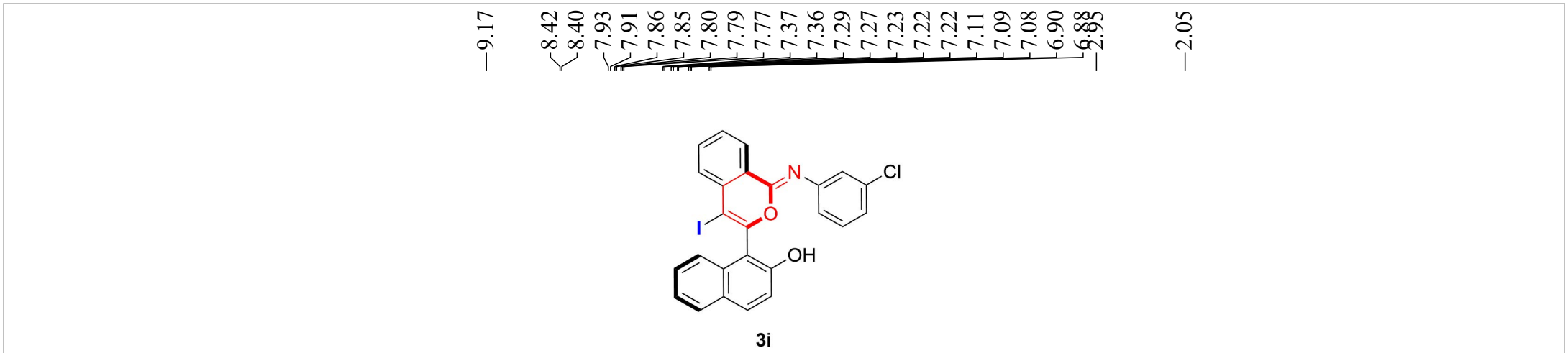
3h

^{1}H NMR (400 MHz, Acetone-d_6) of **3h**



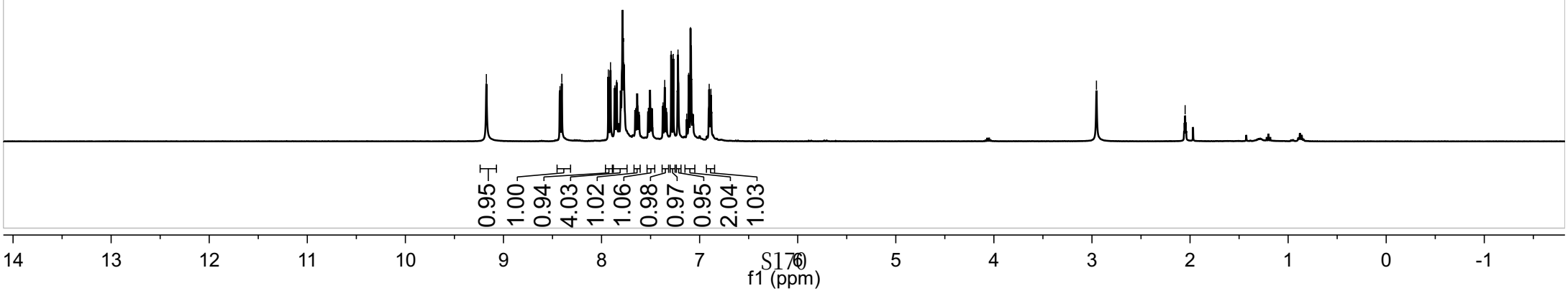
3h

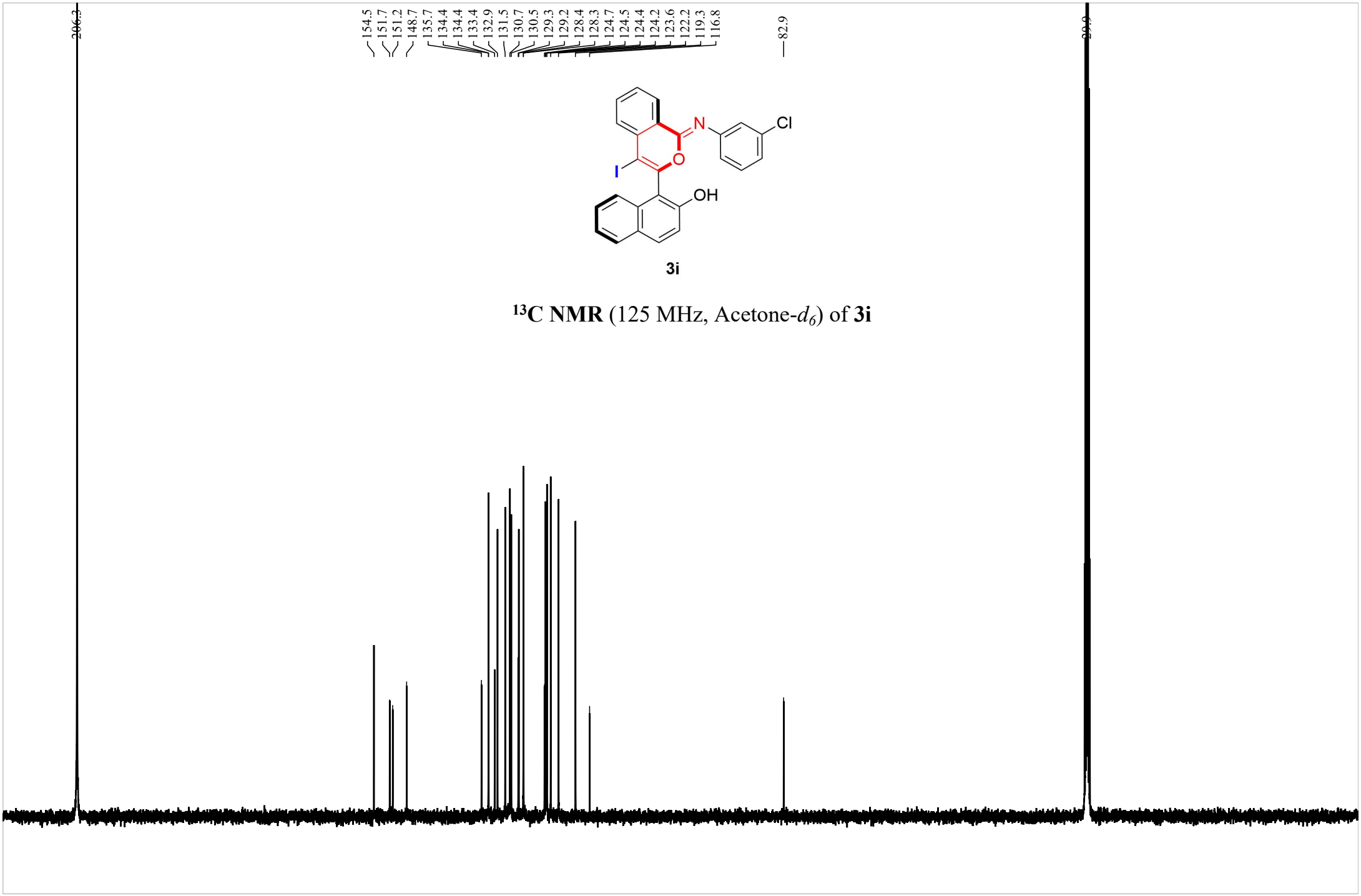
^{13}C NMR (100 MHz, Acetone-d_6) of **3h**



3i

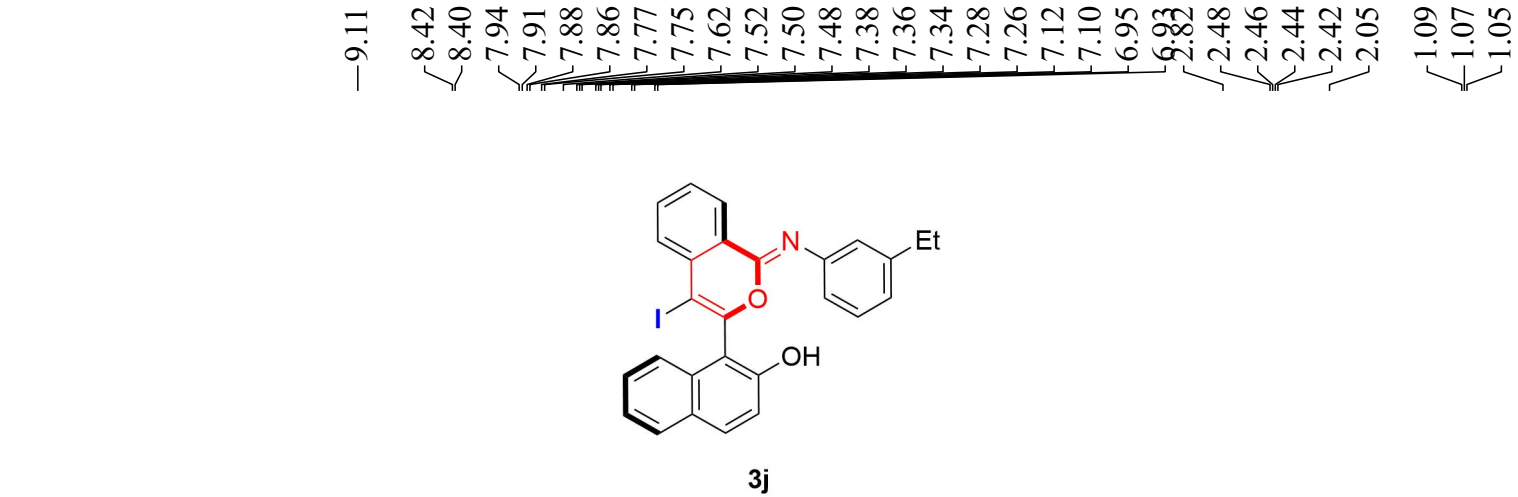
^{1}H NMR (400 MHz, Acetone-d_6) of **3i**





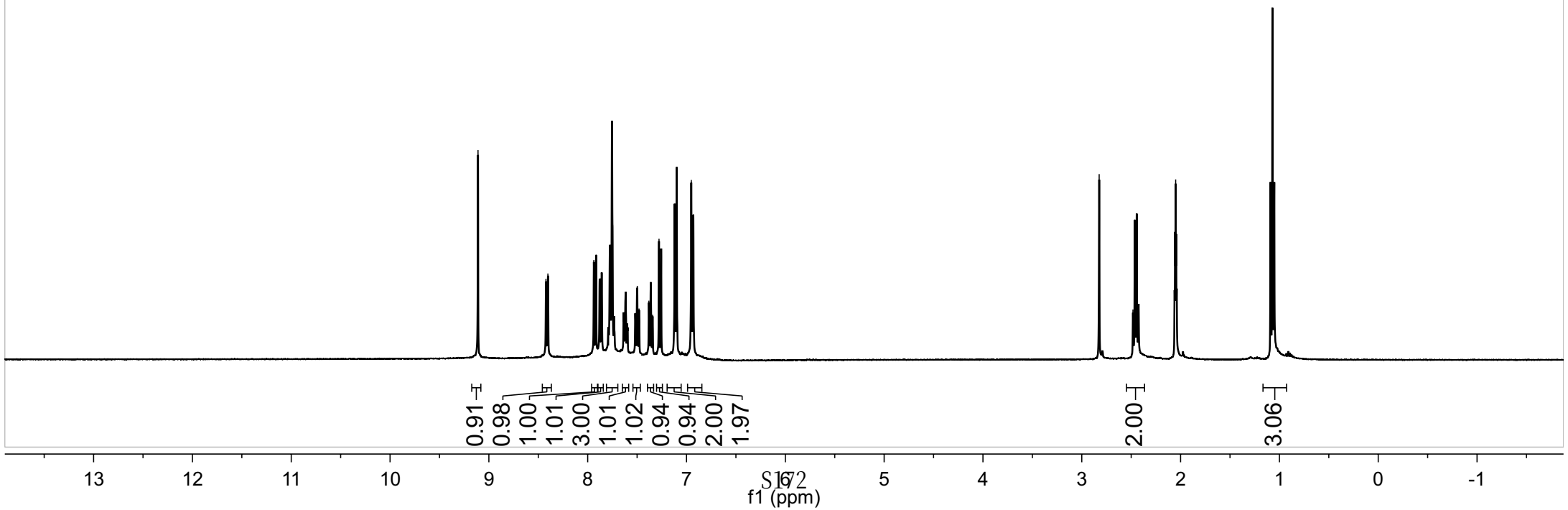
3i

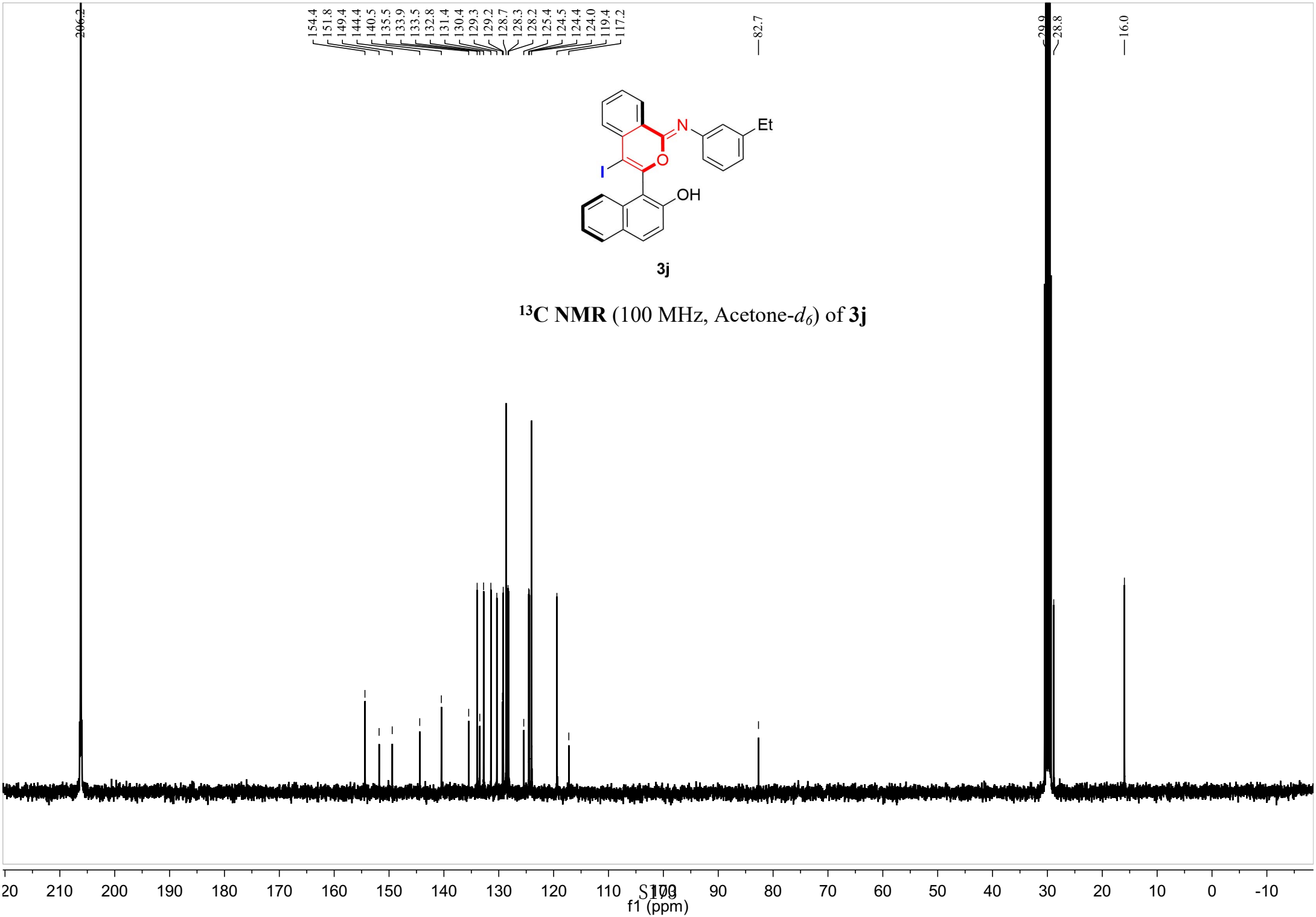
^{13}C NMR (125 MHz, Acetone-d_6) of **3i**



3j

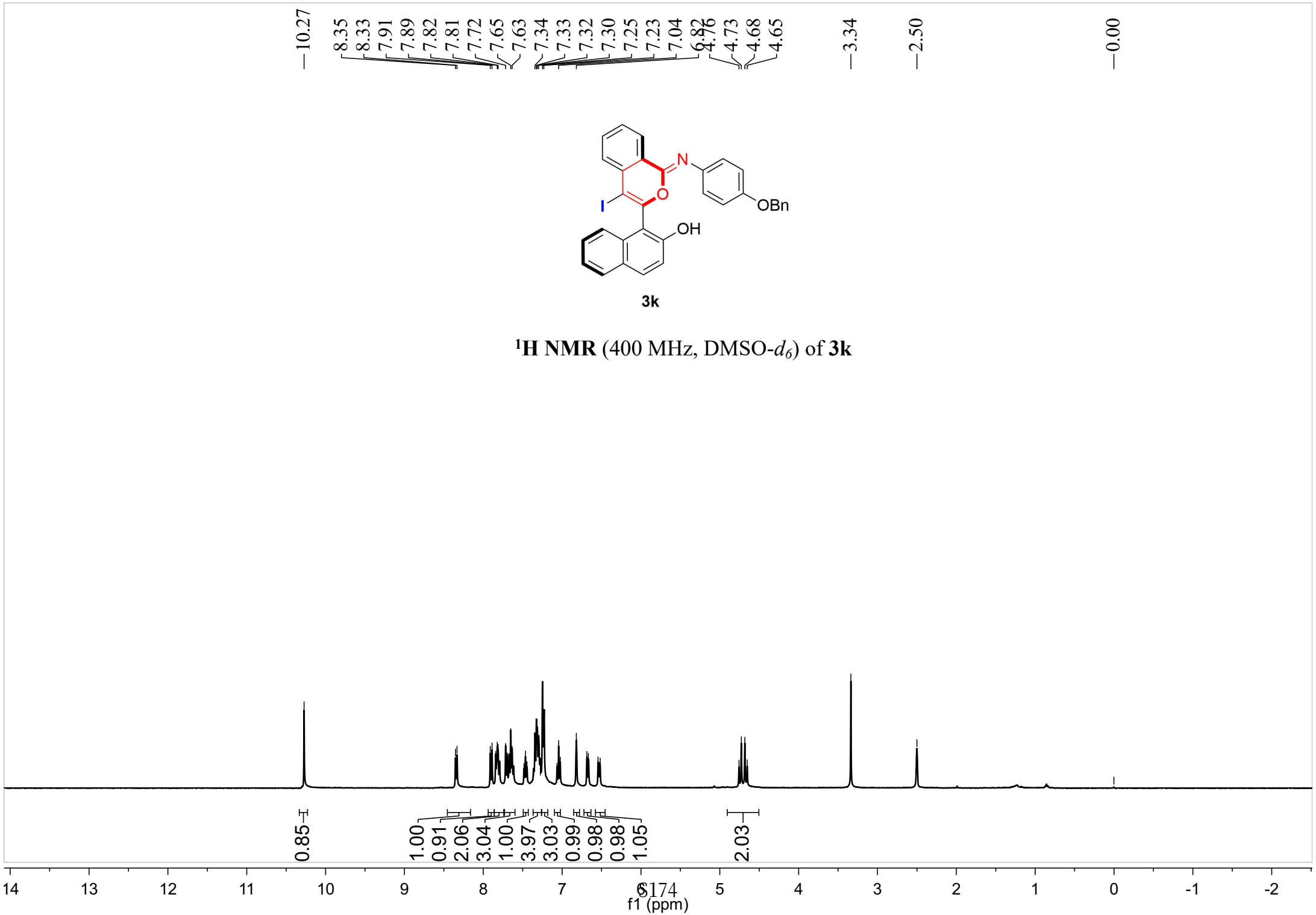
^{1}H NMR (400 MHz, Acetone-d_6) of **3j**





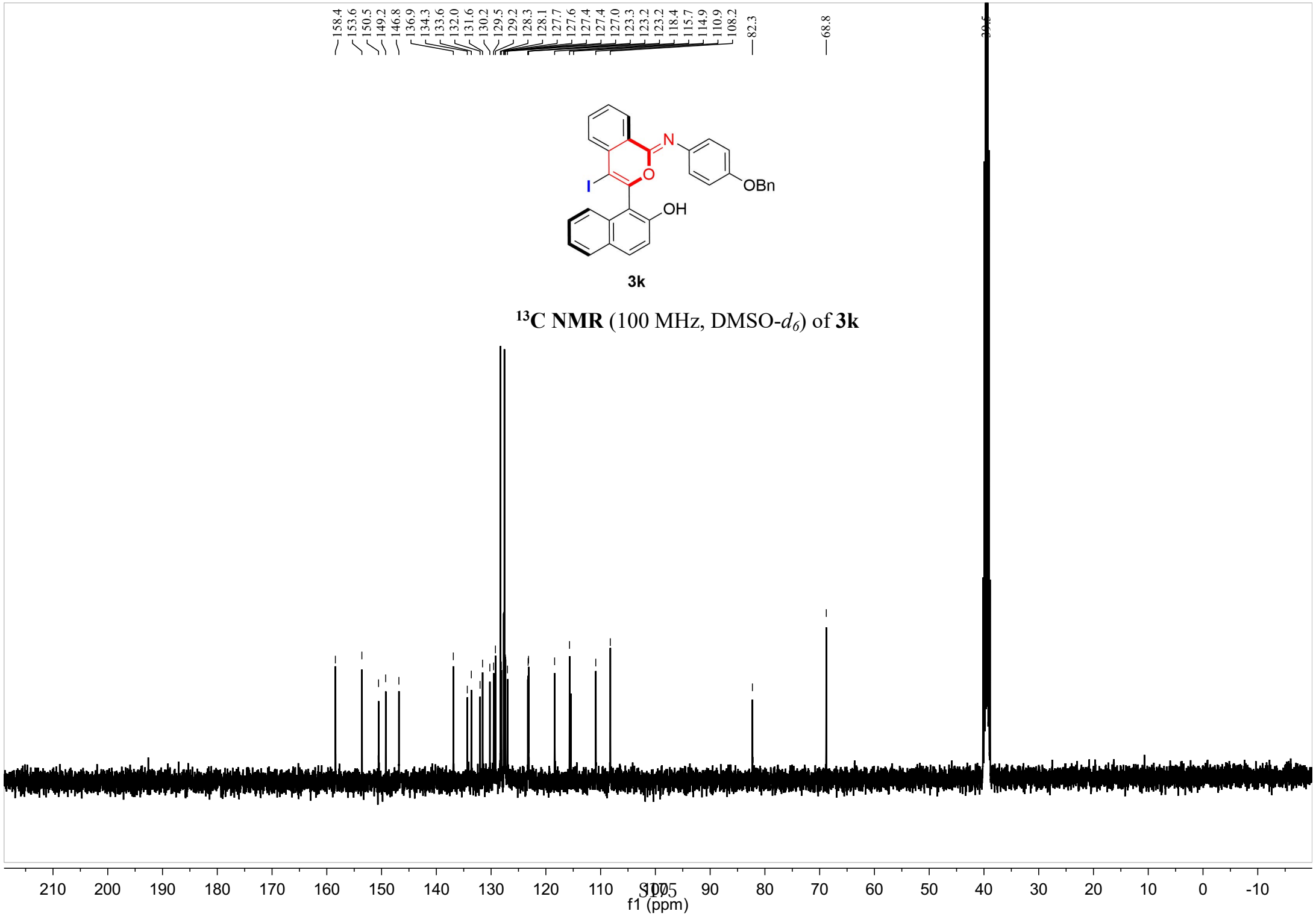
3j

^{13}C NMR (100 MHz, Acetone-d_6) of **3j**



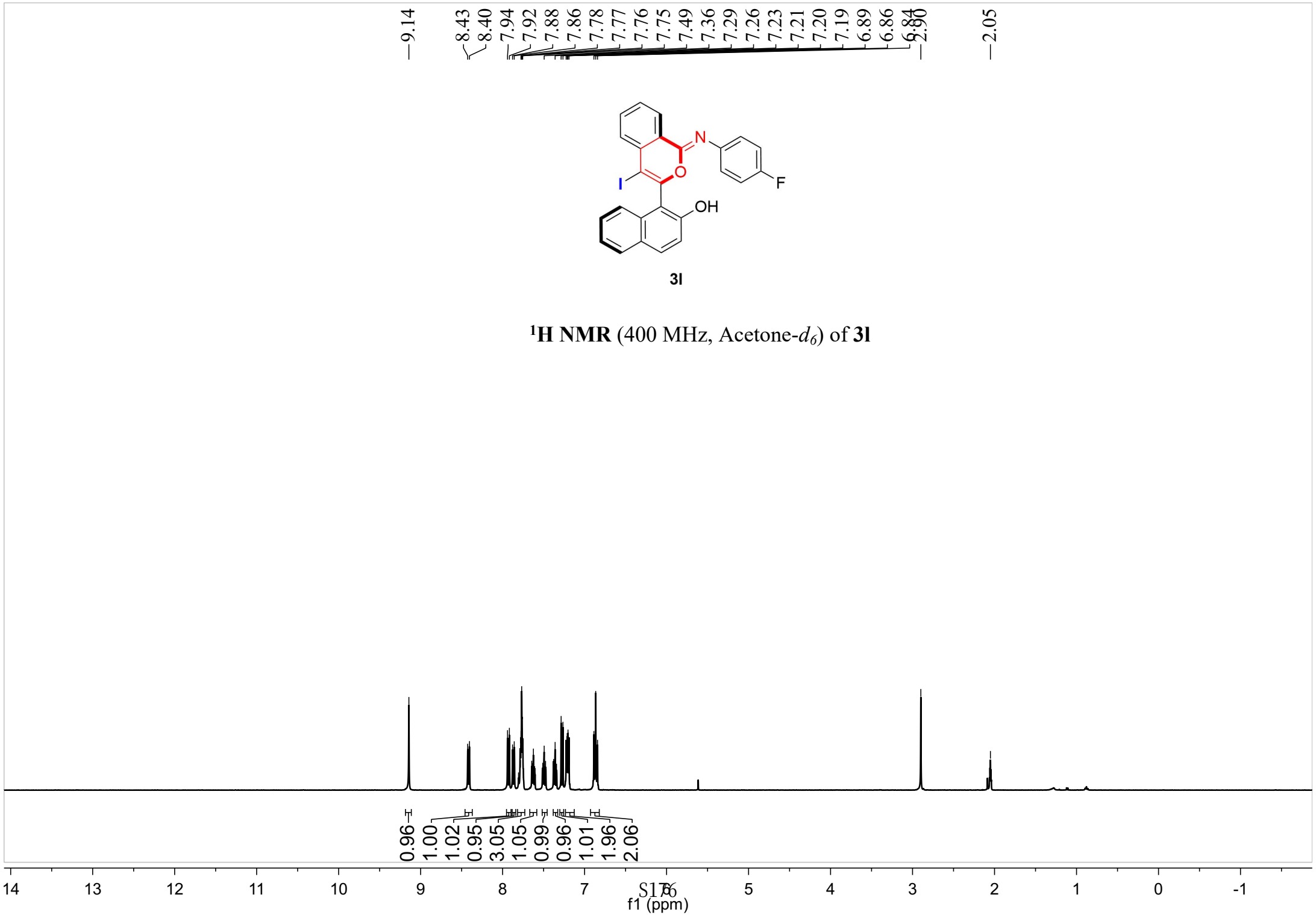
3k

^{1}H NMR (400 MHz, DMSO-d_6) of **3k**



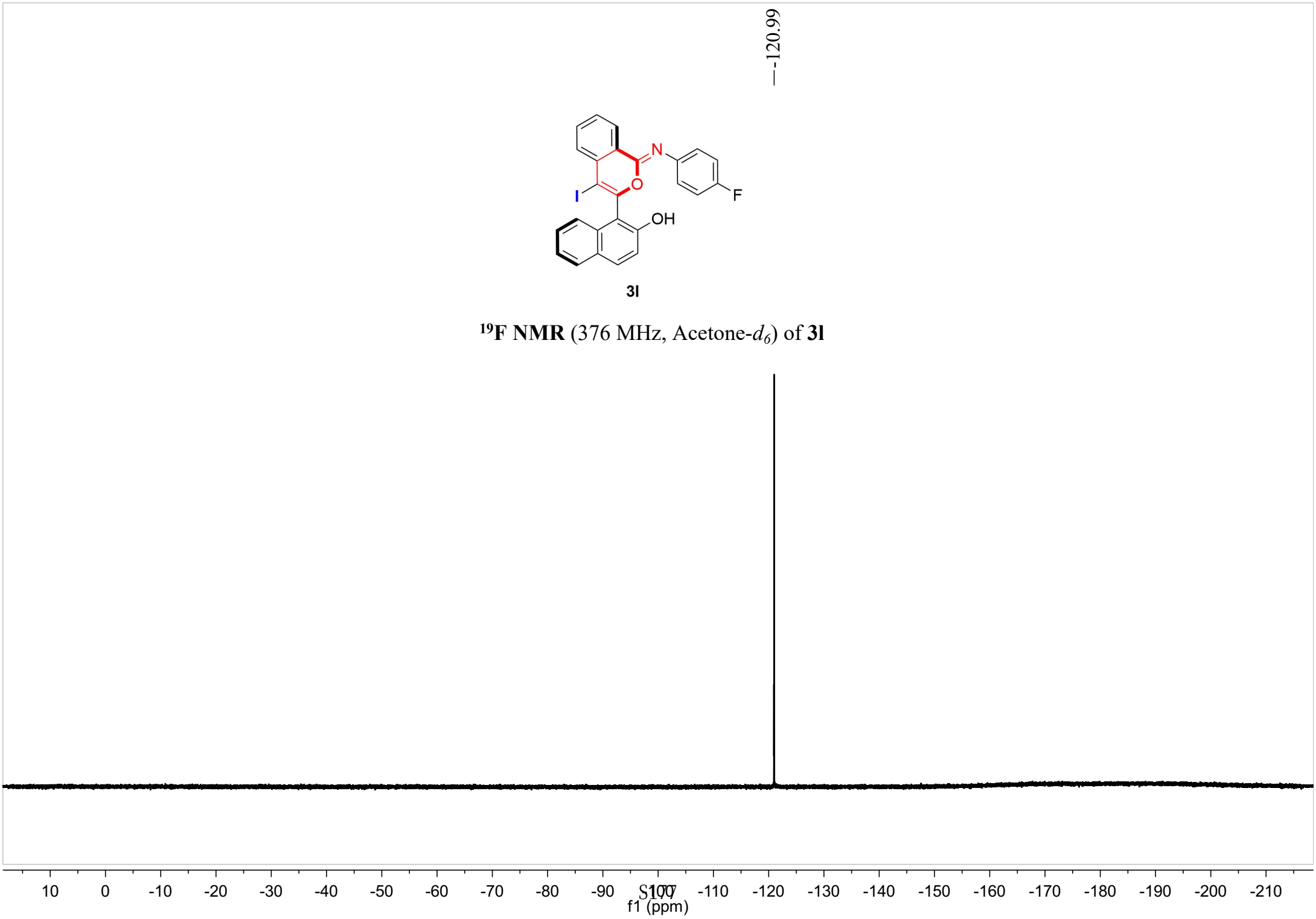
3k

^{13}C NMR (100 MHz, DMSO-d_6) of **3k**



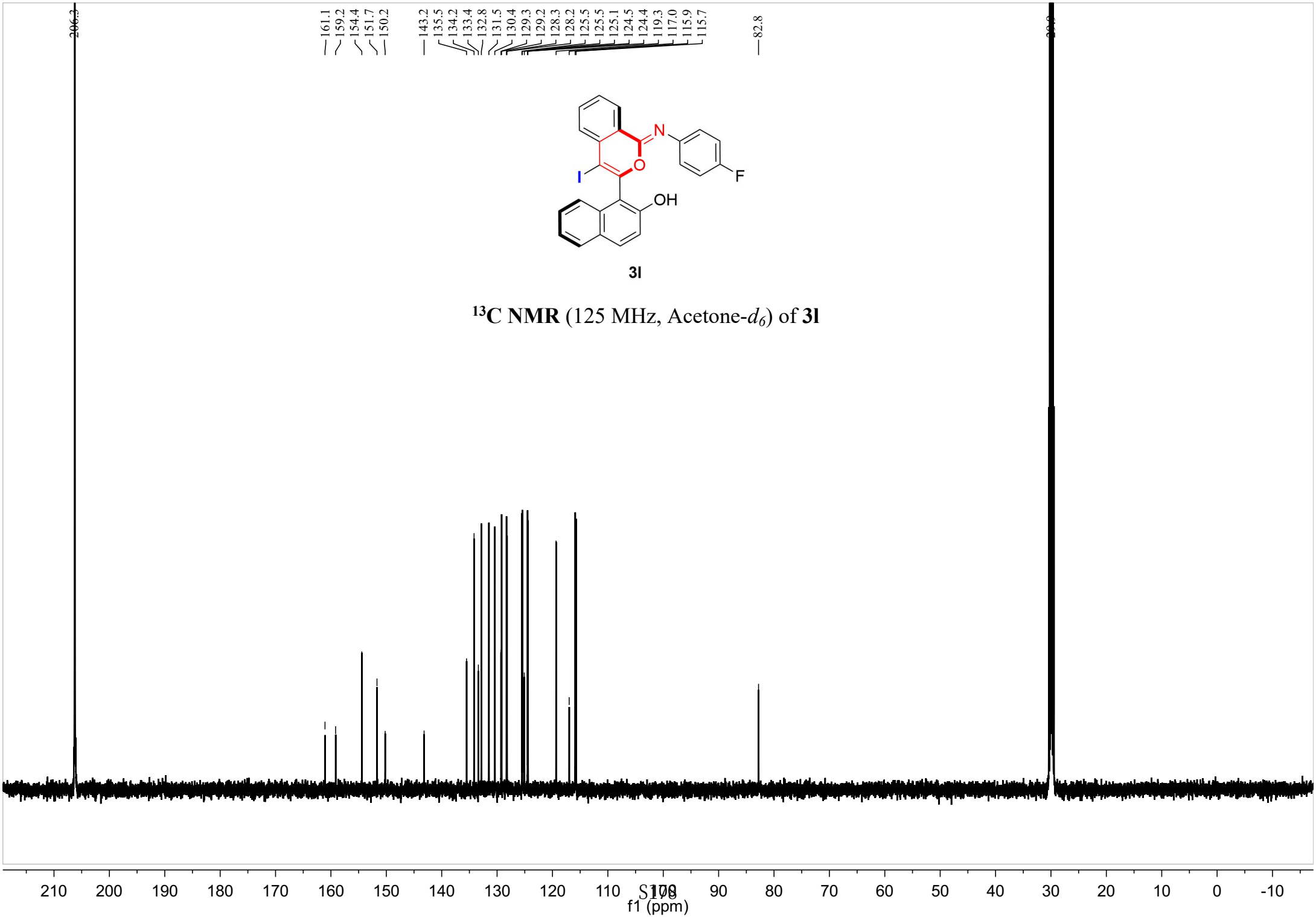
3l

^{1}H NMR (400 MHz, Acetone-d_6) of **3l**



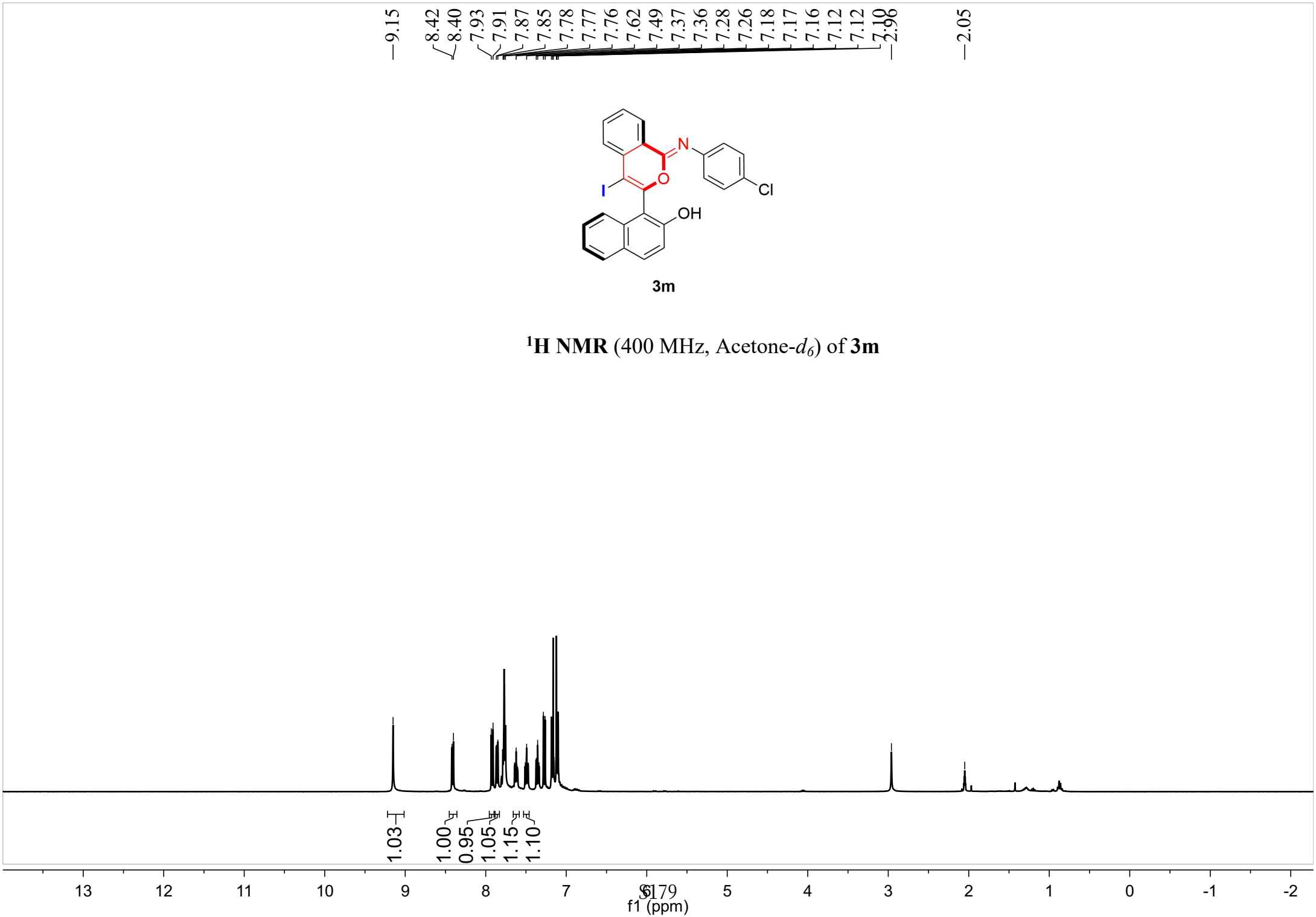
3l

^{19}F NMR (376 MHz, Acetone-d_6) of **3l**



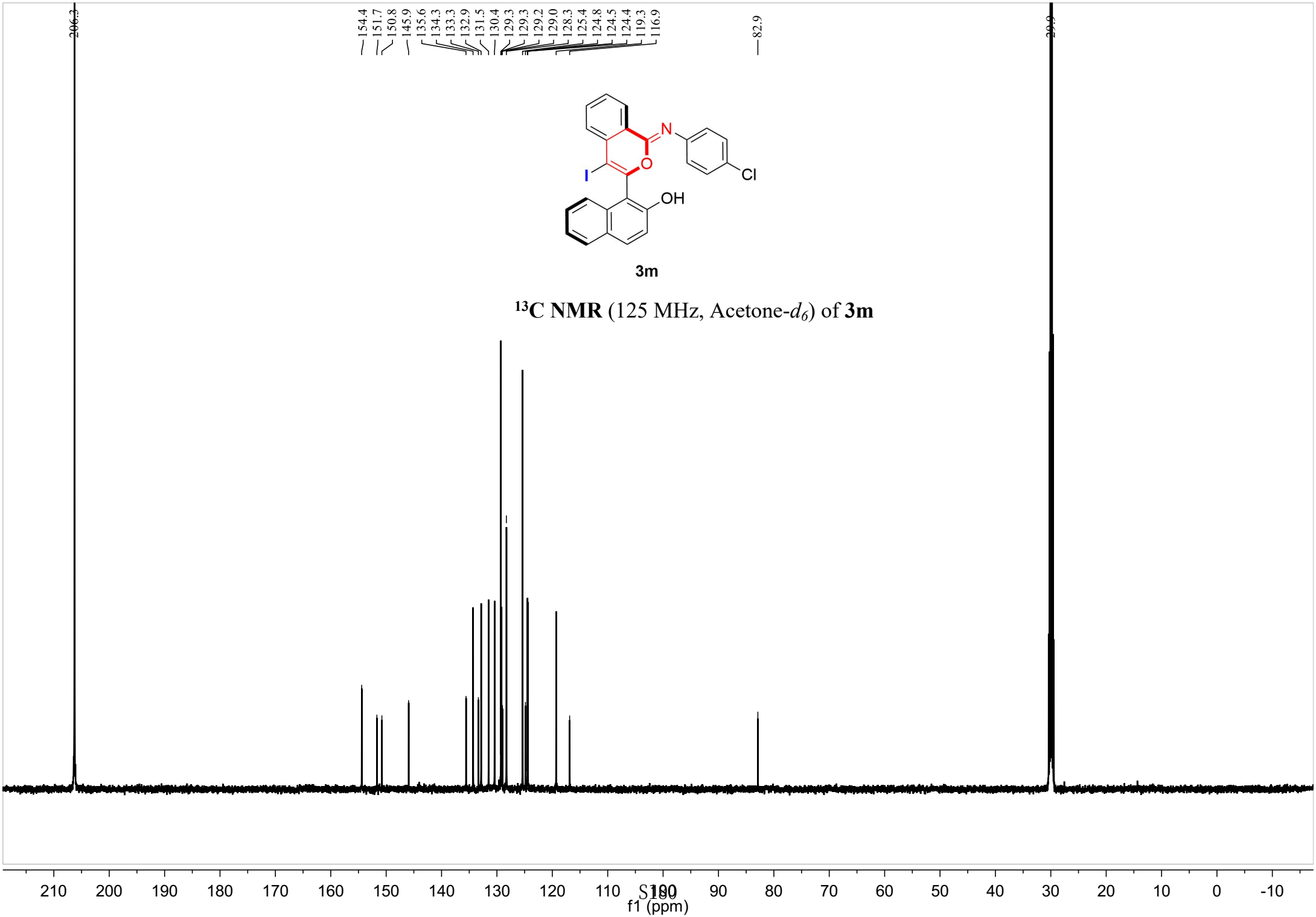
3l

^{13}C NMR (125 MHz, Acetone-d_6) of **3l**



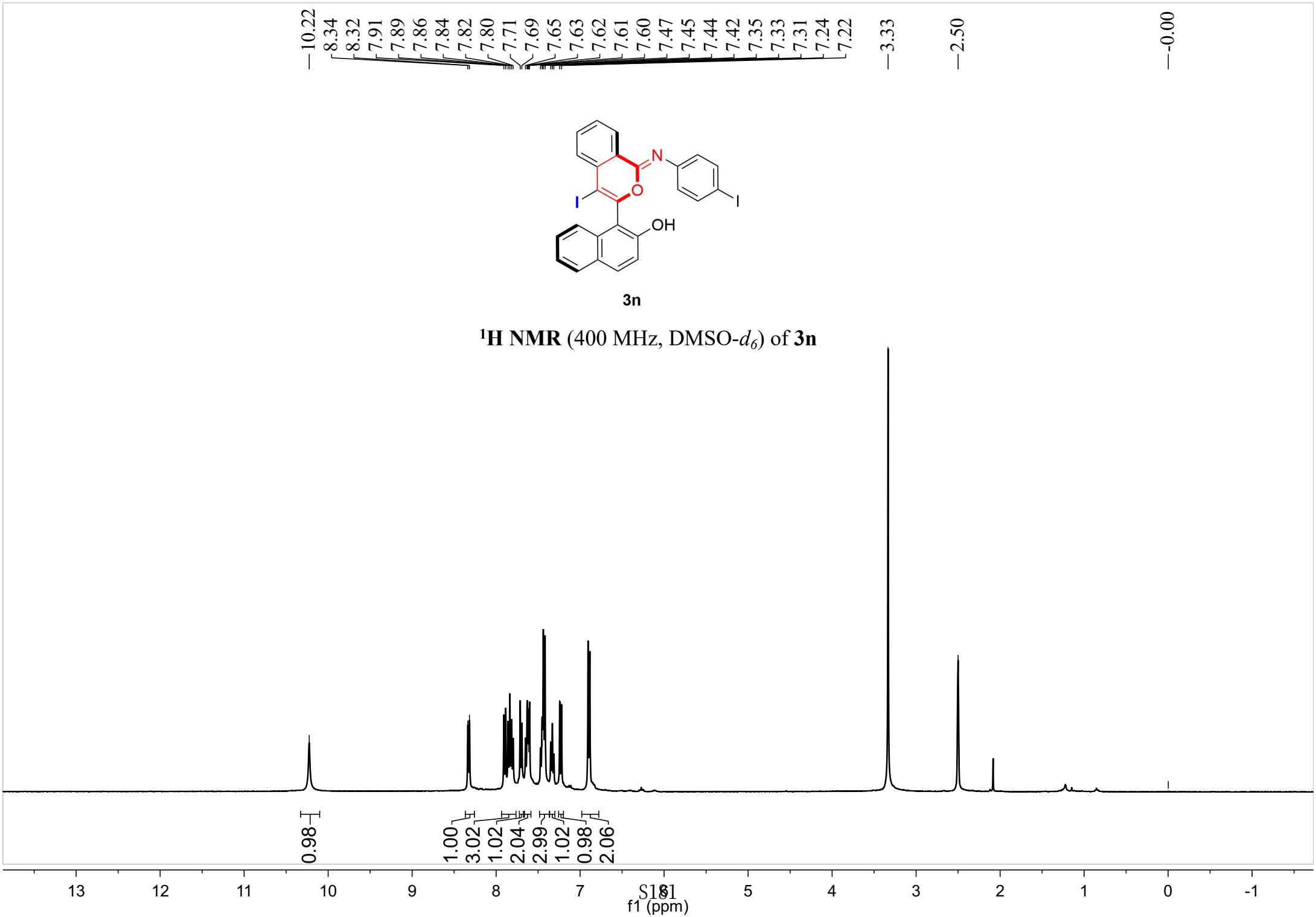
3m

^{1}H NMR (400 MHz, Acetone-d_6) of **3m**



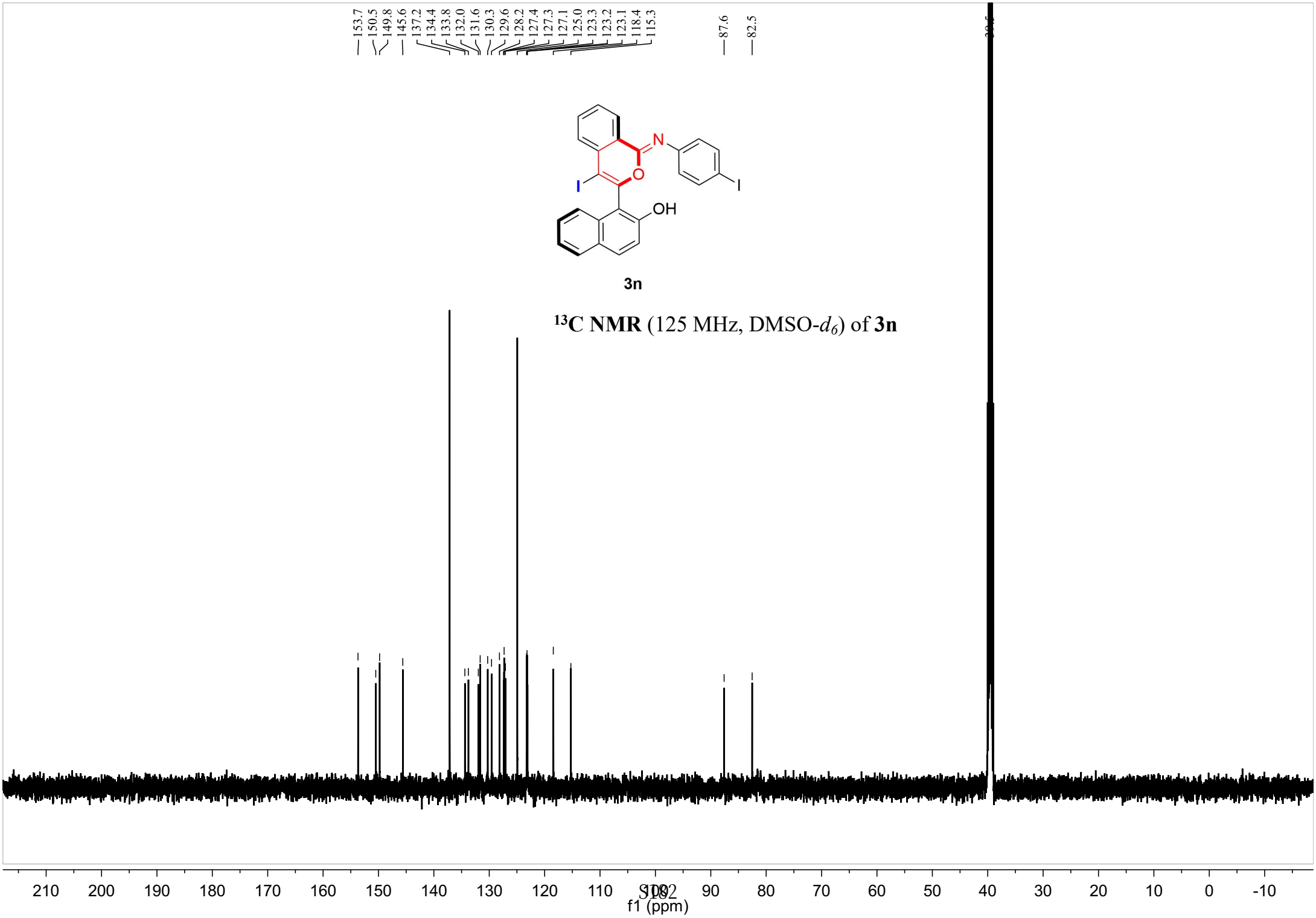
3m

^{13}C NMR (125 MHz, Acetone-d_6) of **3m**



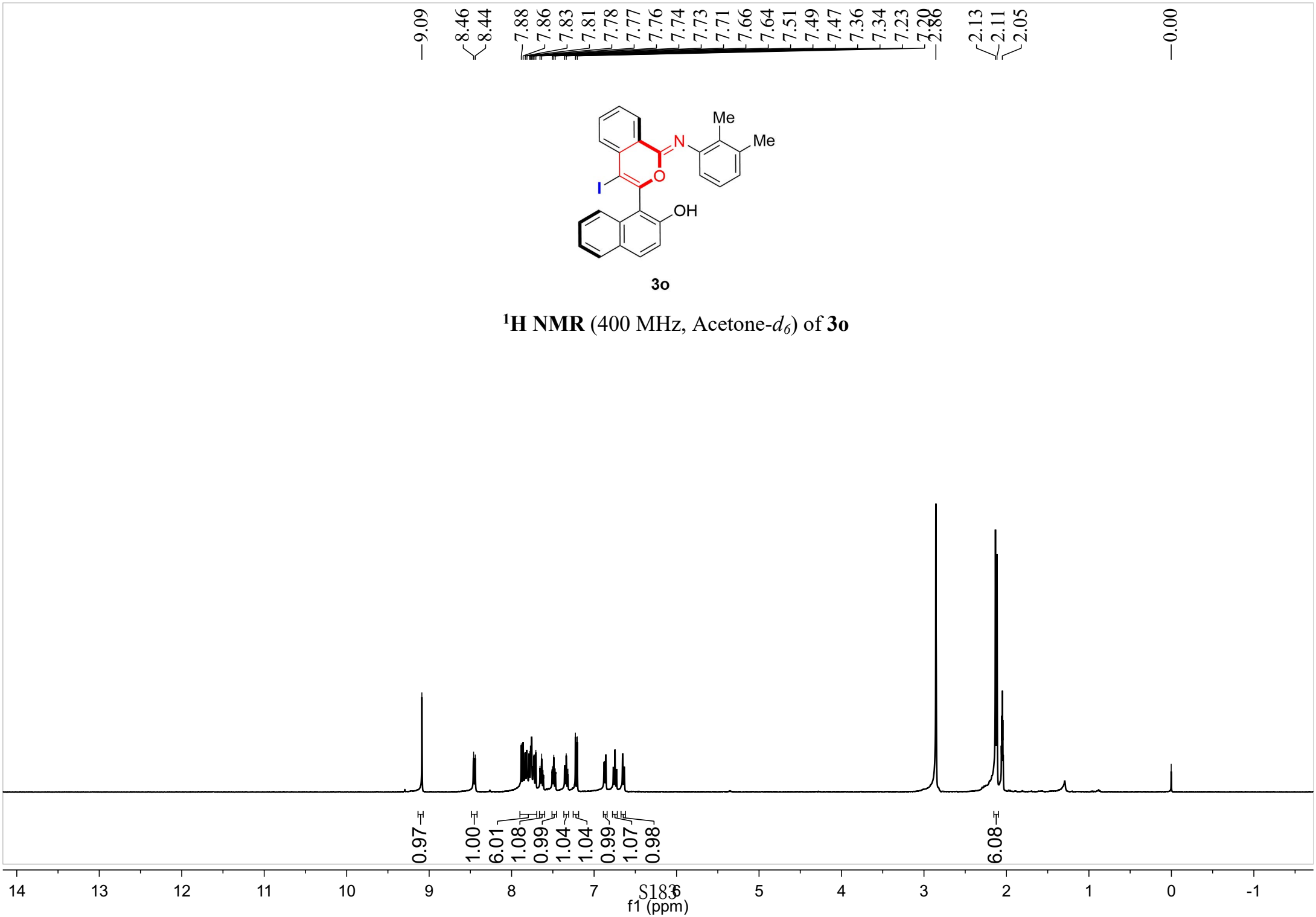
3n

^{1}H NMR (400 MHz, DMSO-d_6) of **3n**



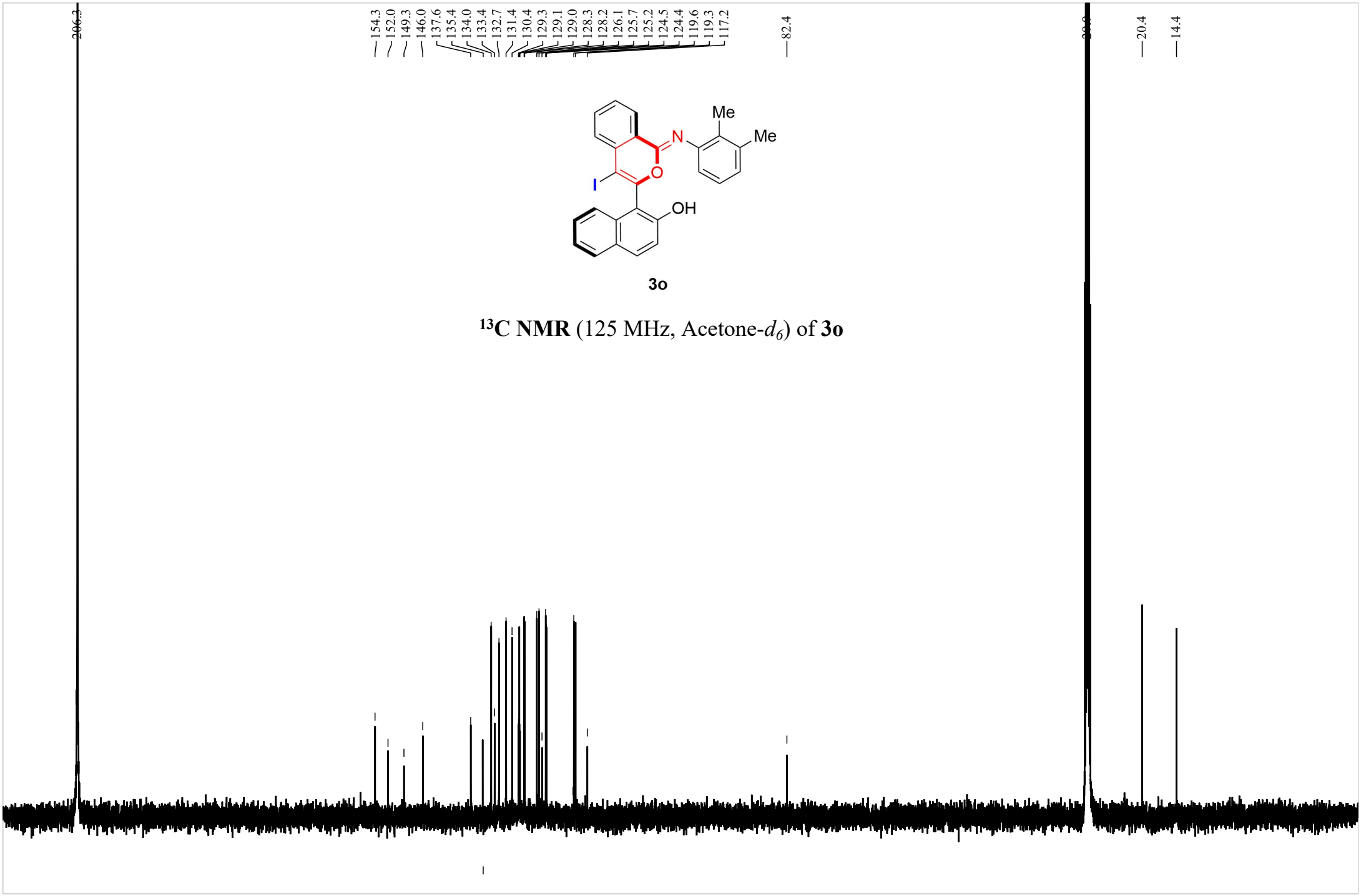
3n

^{13}C NMR (125 MHz, DMSO-d_6) of **3n**



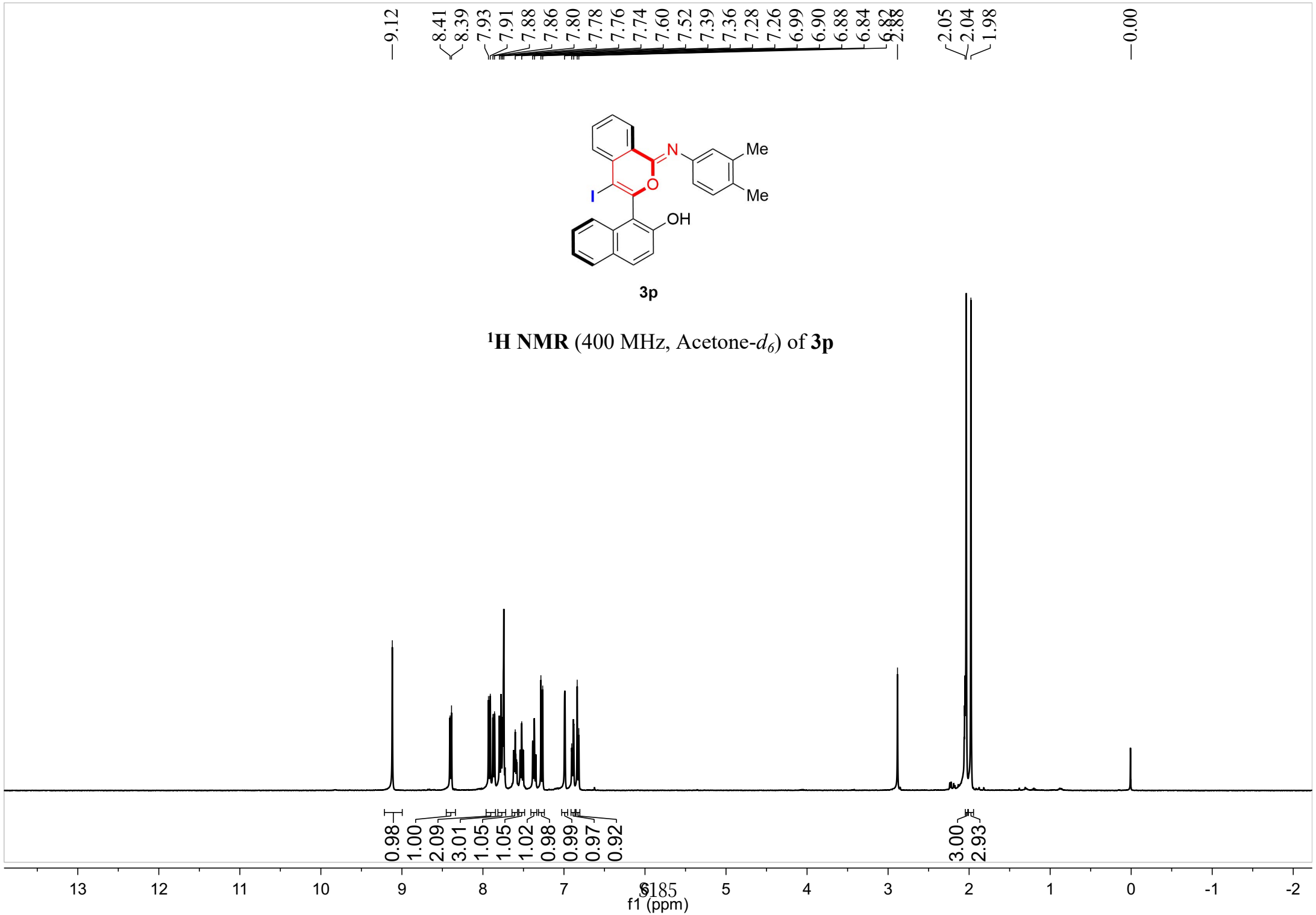
3o

^{1}H NMR (400 MHz, Acetone-d_6) of **3o**



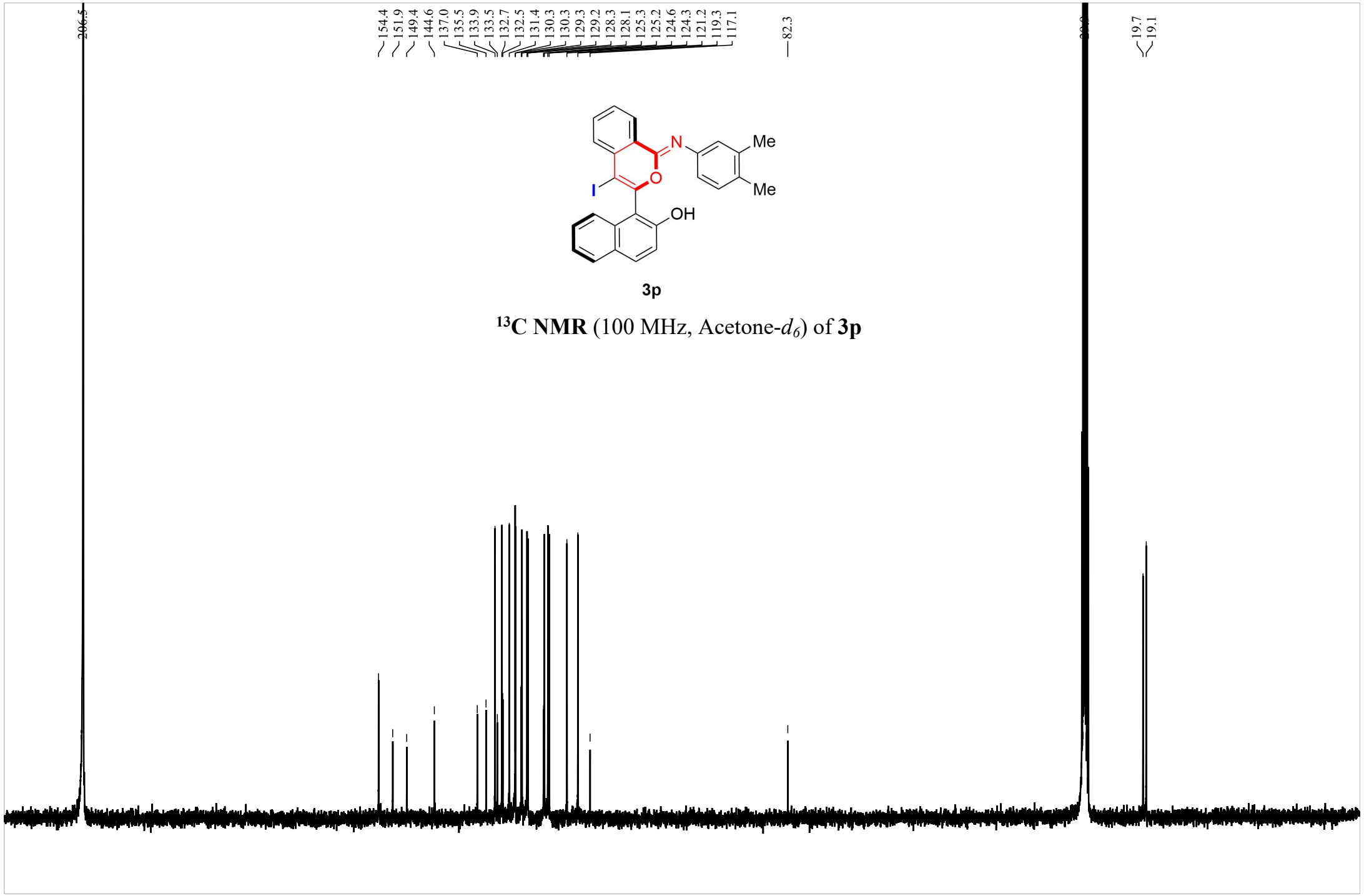
3o

^{13}C NMR (125 MHz, Acetone-d_6) of **3o**



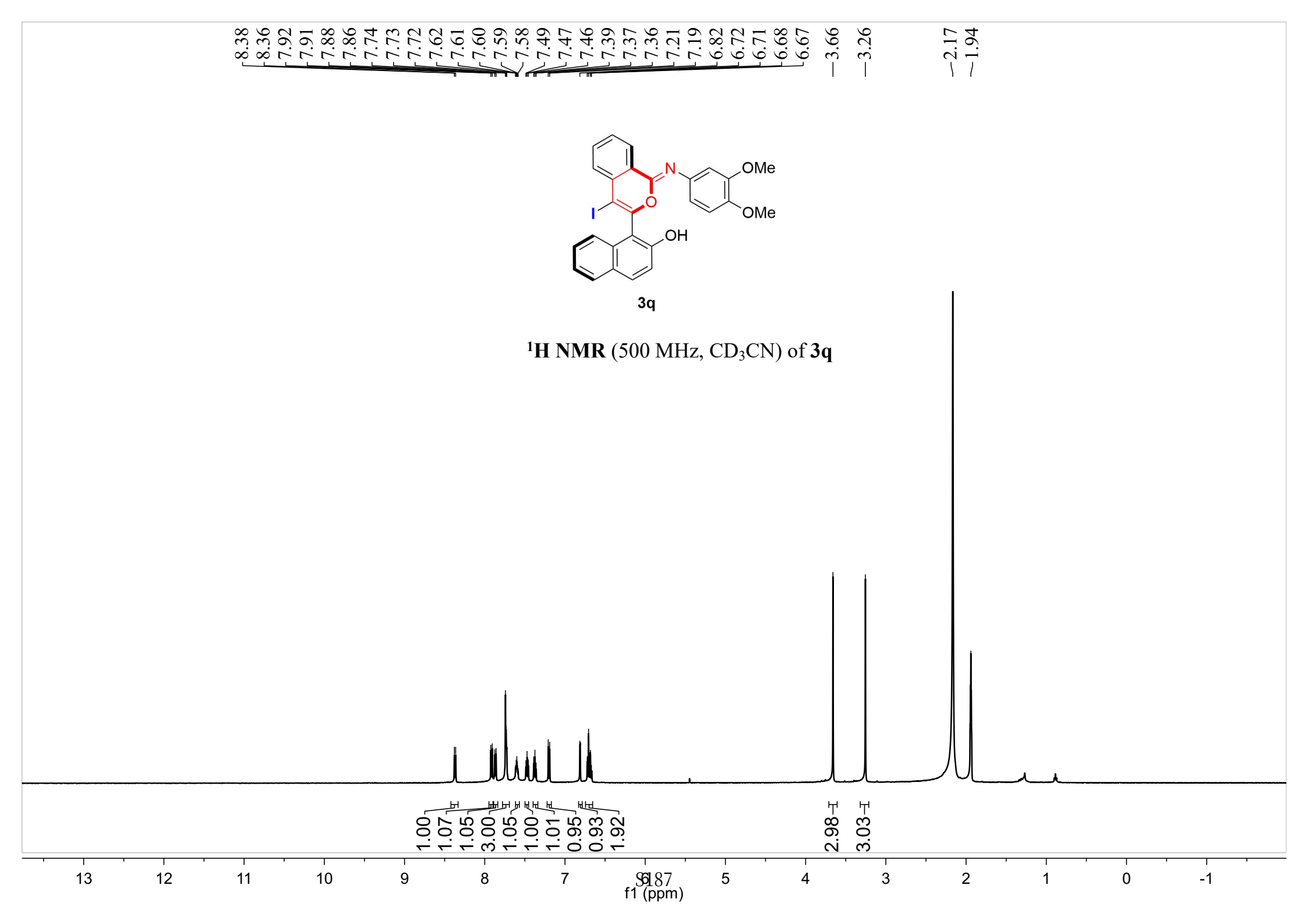
3p

^{1}H NMR (400 MHz, Acetone-d_6) of **3p**



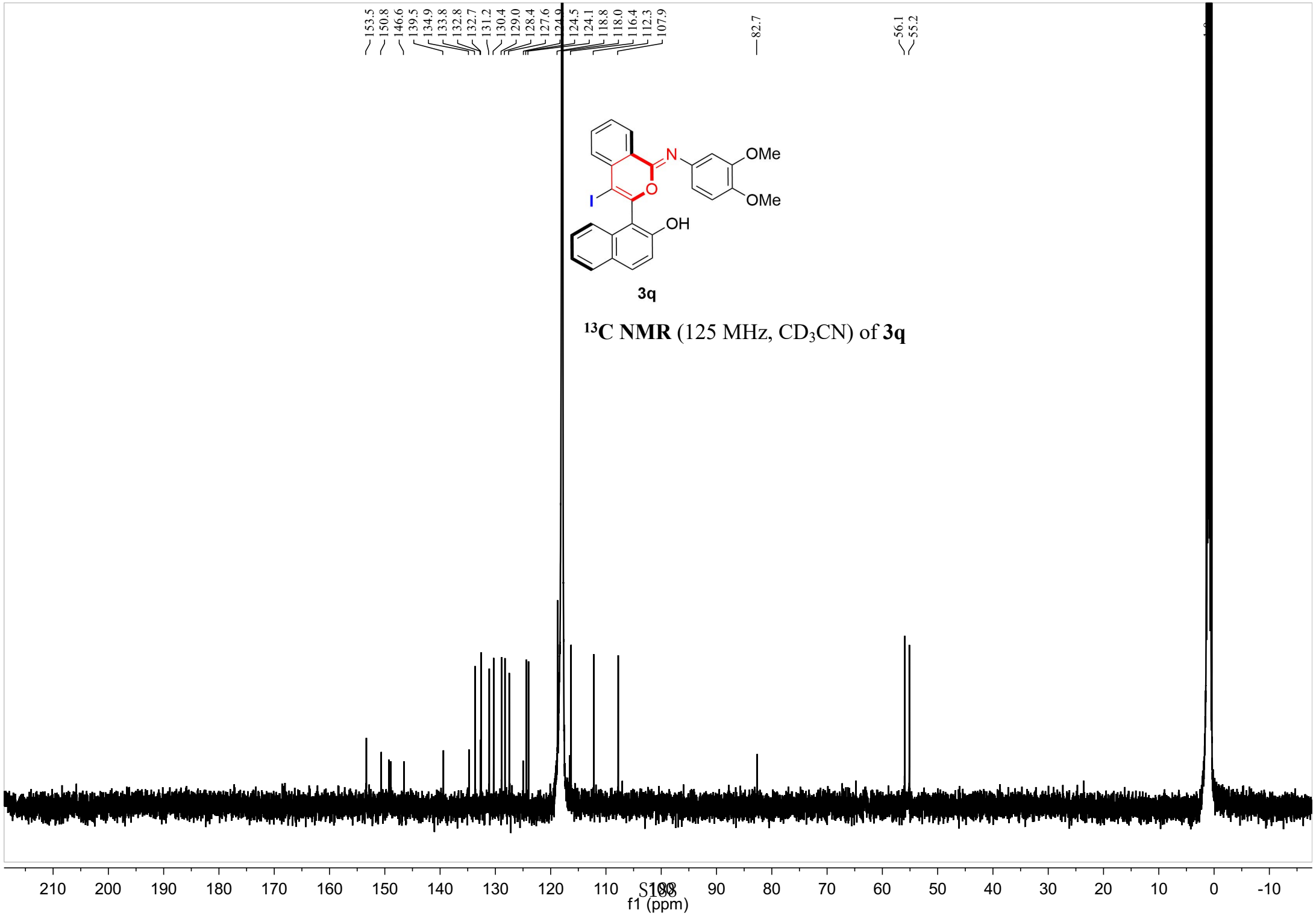
3p

^{13}C NMR (100 MHz, Acetone-d_6) of **3p**



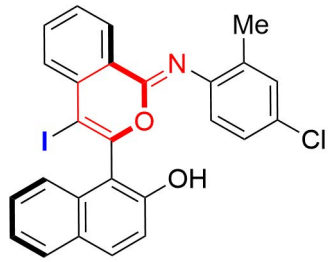
3q

[1]H NMR (500 MHz, CD_3CN) of **3q**



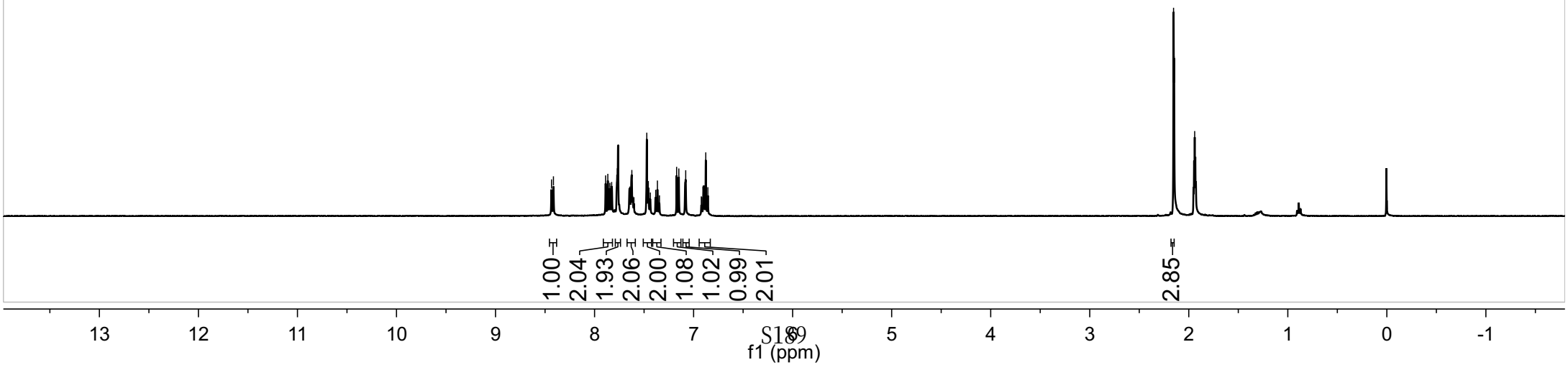
3q

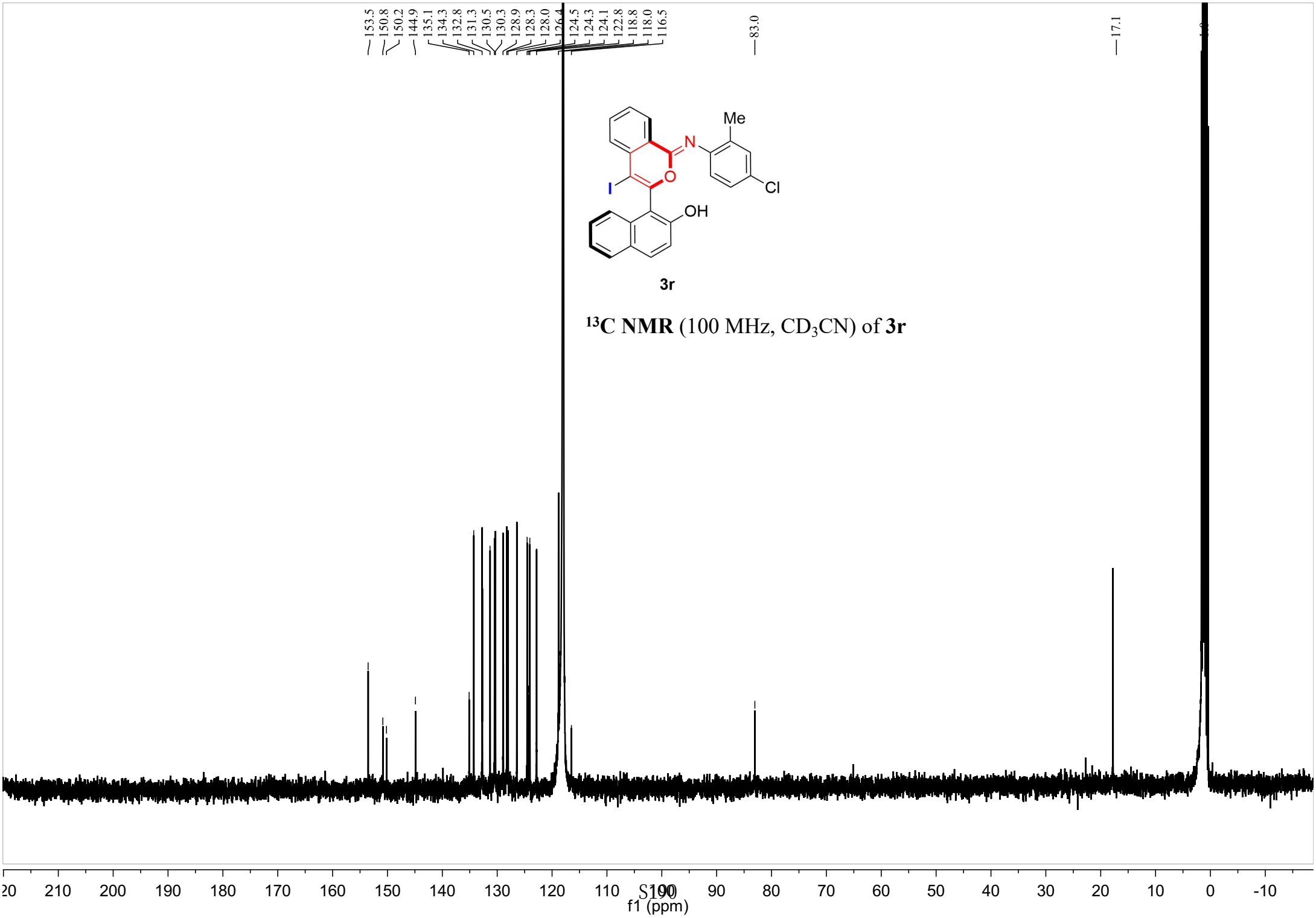
^{13}C NMR (125 MHz, CD_3CN) of **3q**



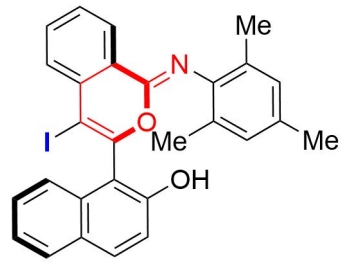
3r

^{1}H NMR (400 MHz, CD_3CN) of **3r**



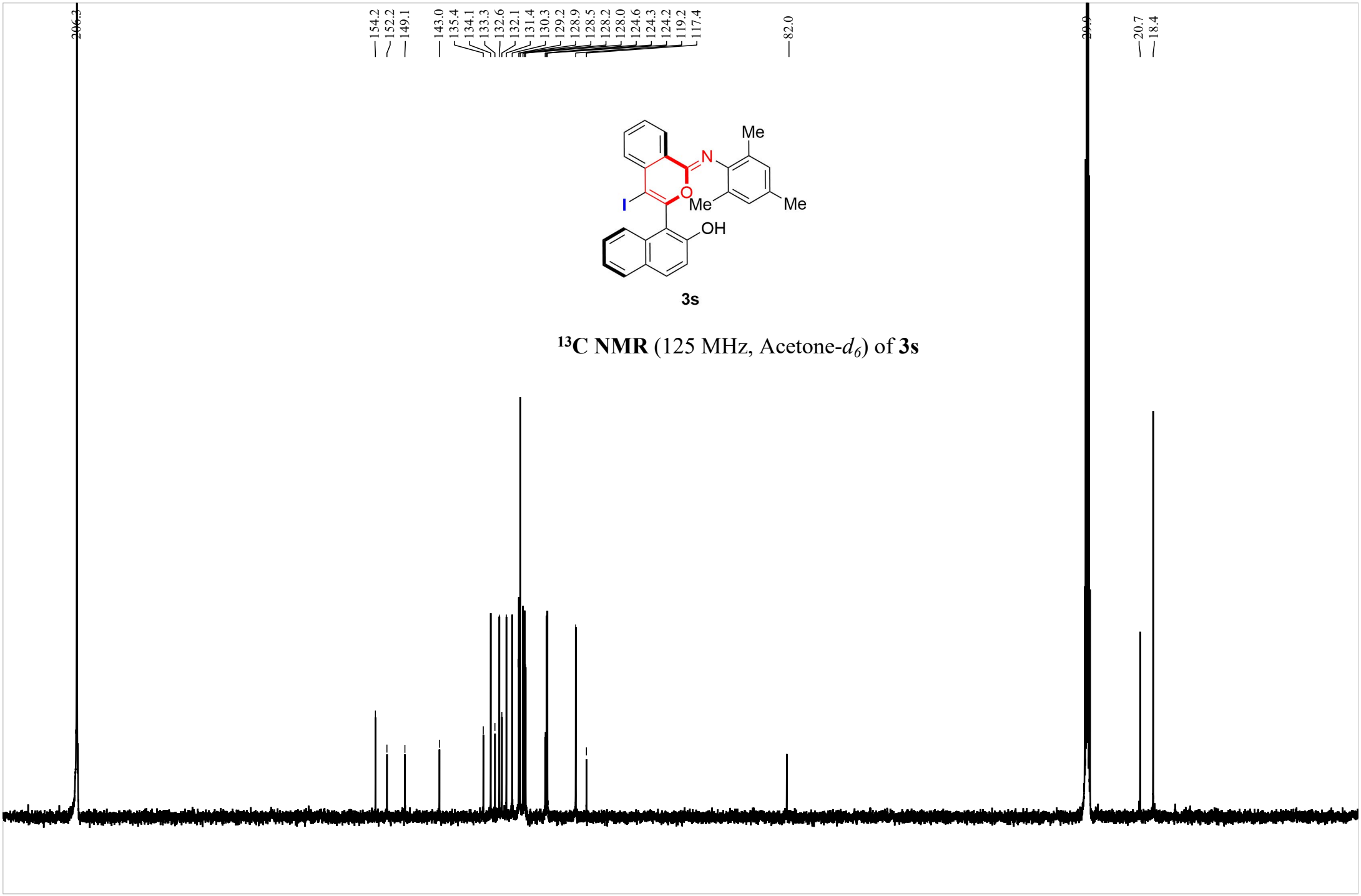


^{13}C NMR (100 MHz, CD_3CN) of **3r**



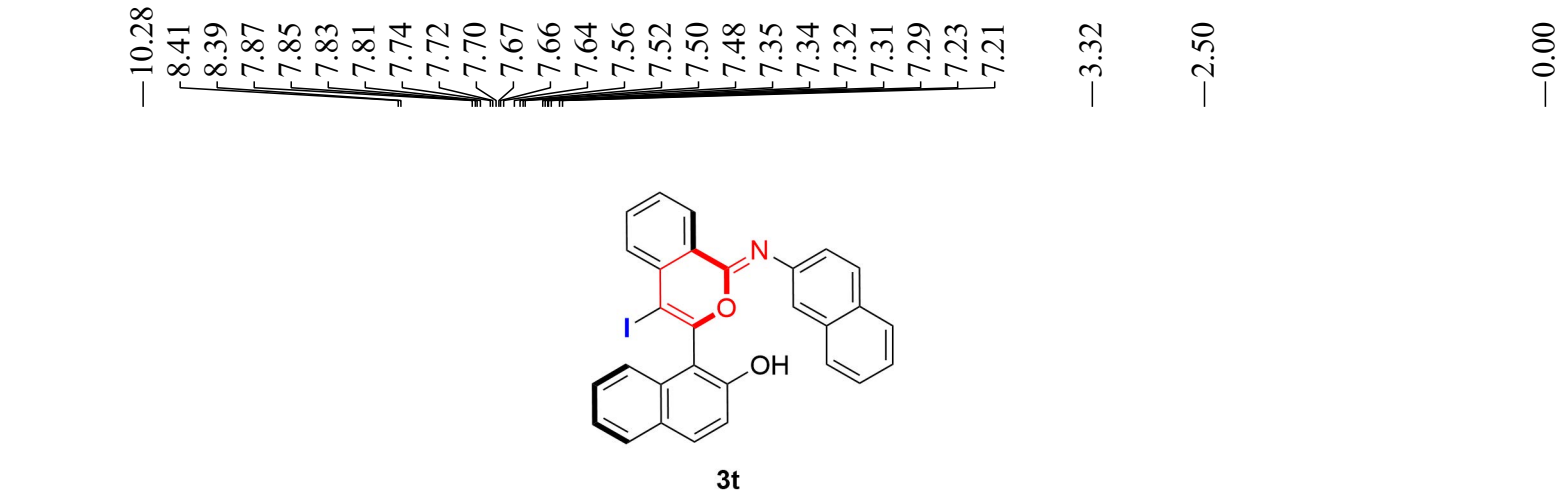
3s

^{1}H NMR (500 MHz, Acetone-d_6) of **3s**



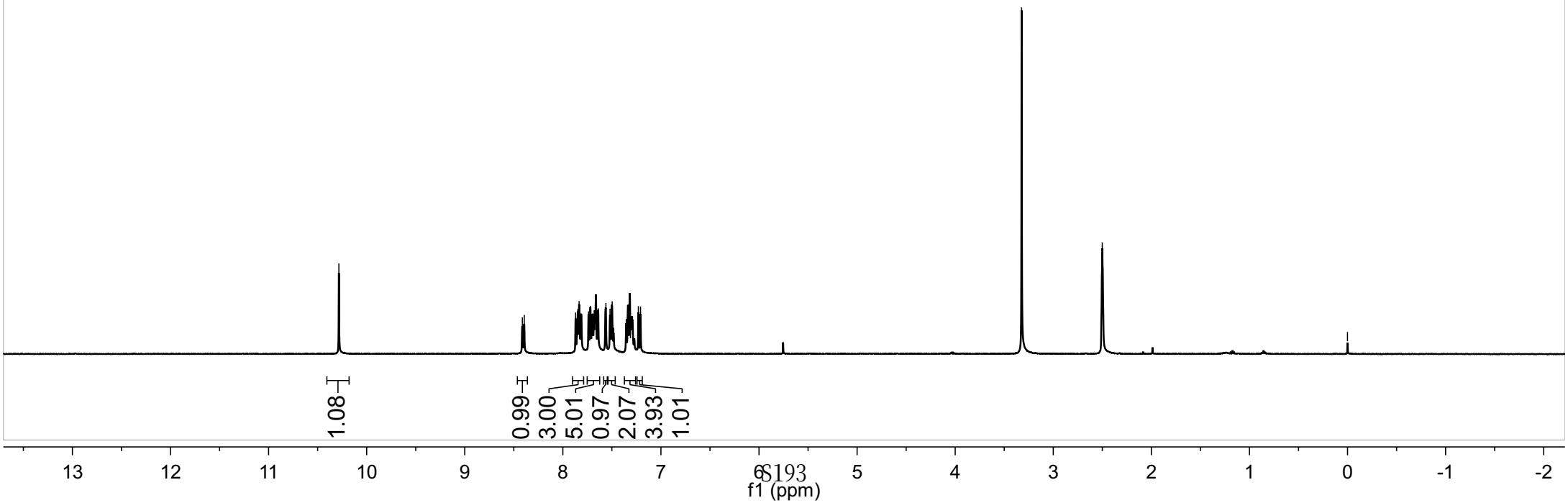
3s

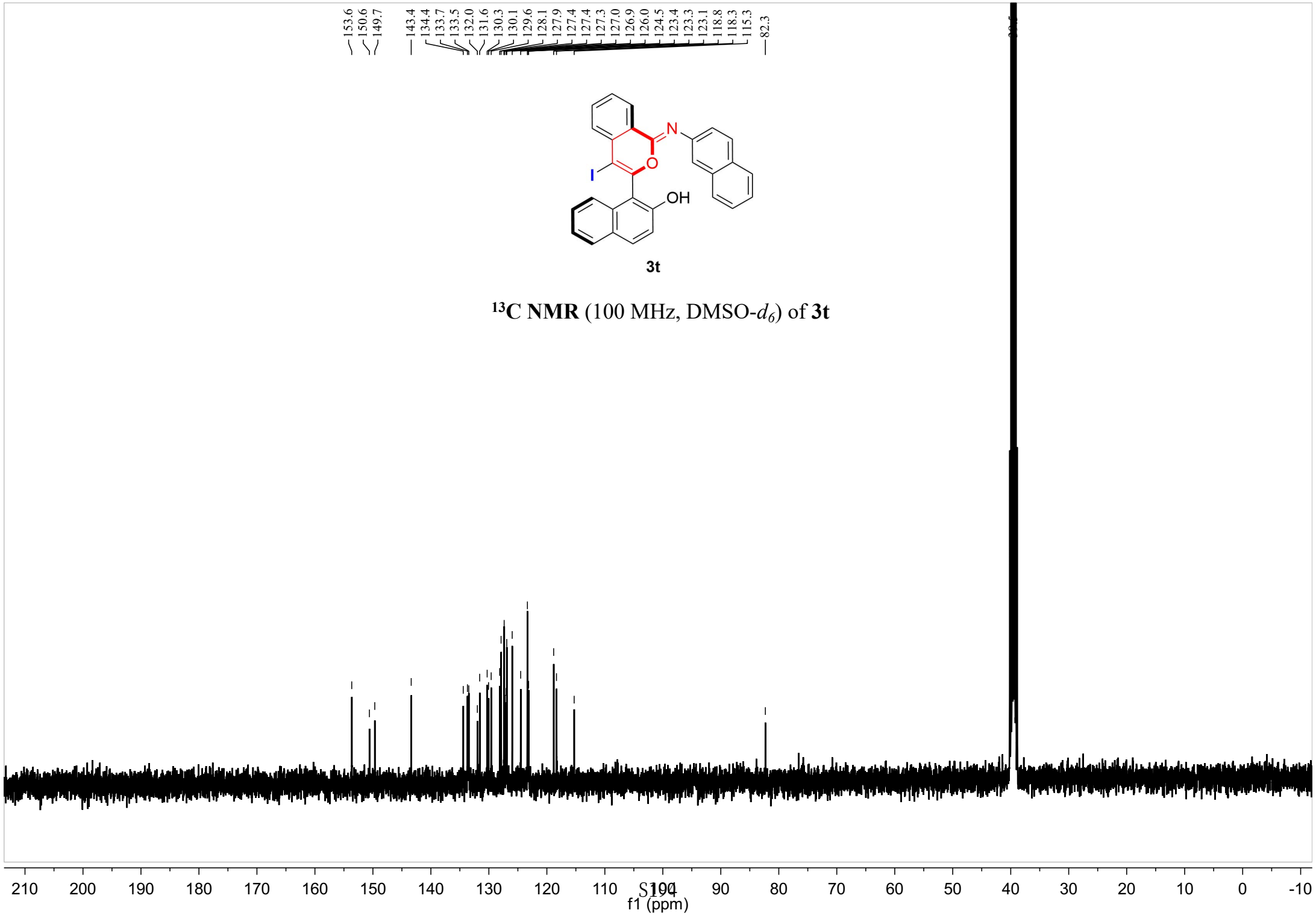
^{13}C NMR (125 MHz, Acetone-d_6) of **3s**



3t

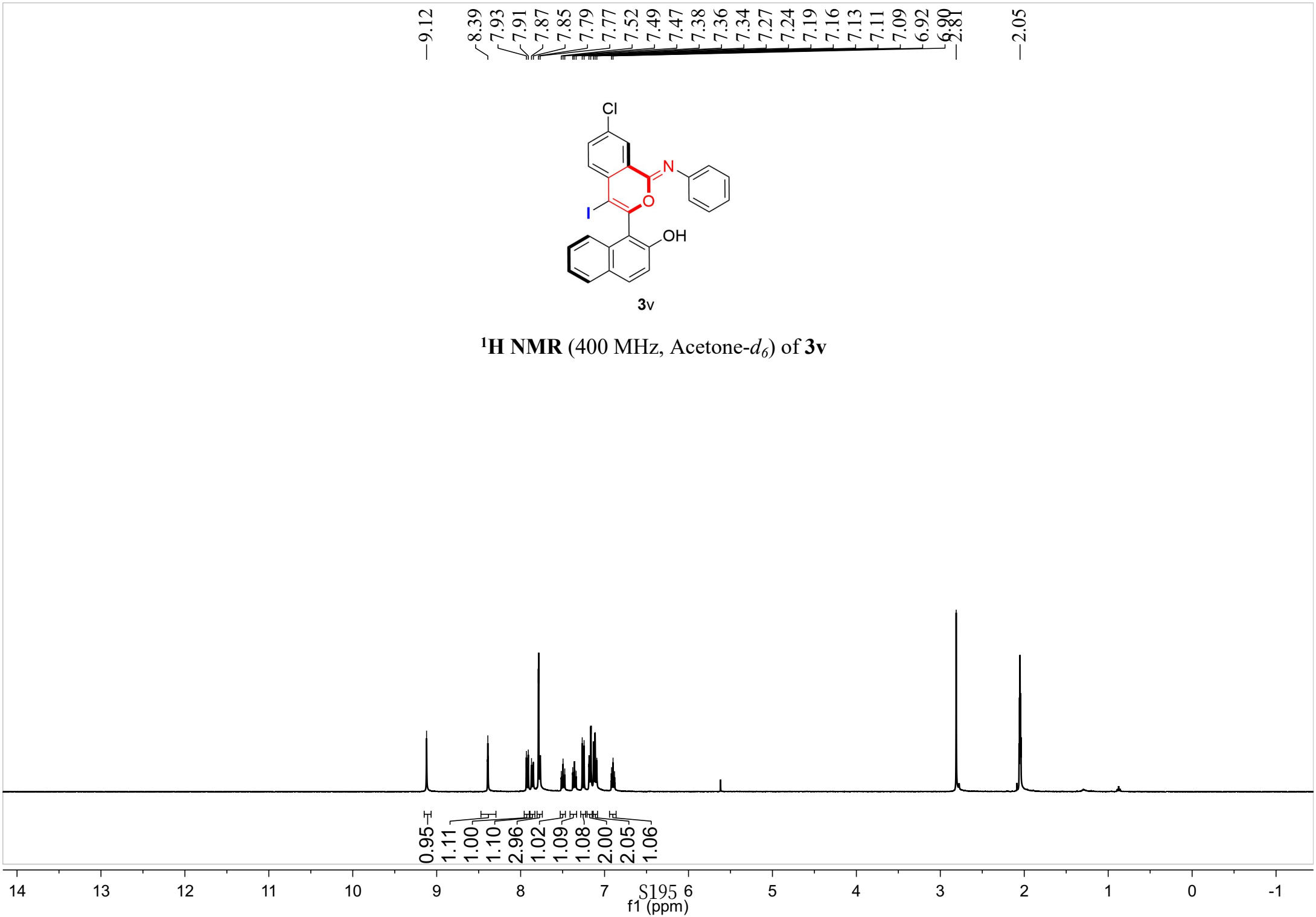
^{1}H NMR (400 MHz, DMSO-d_6) of **3t**





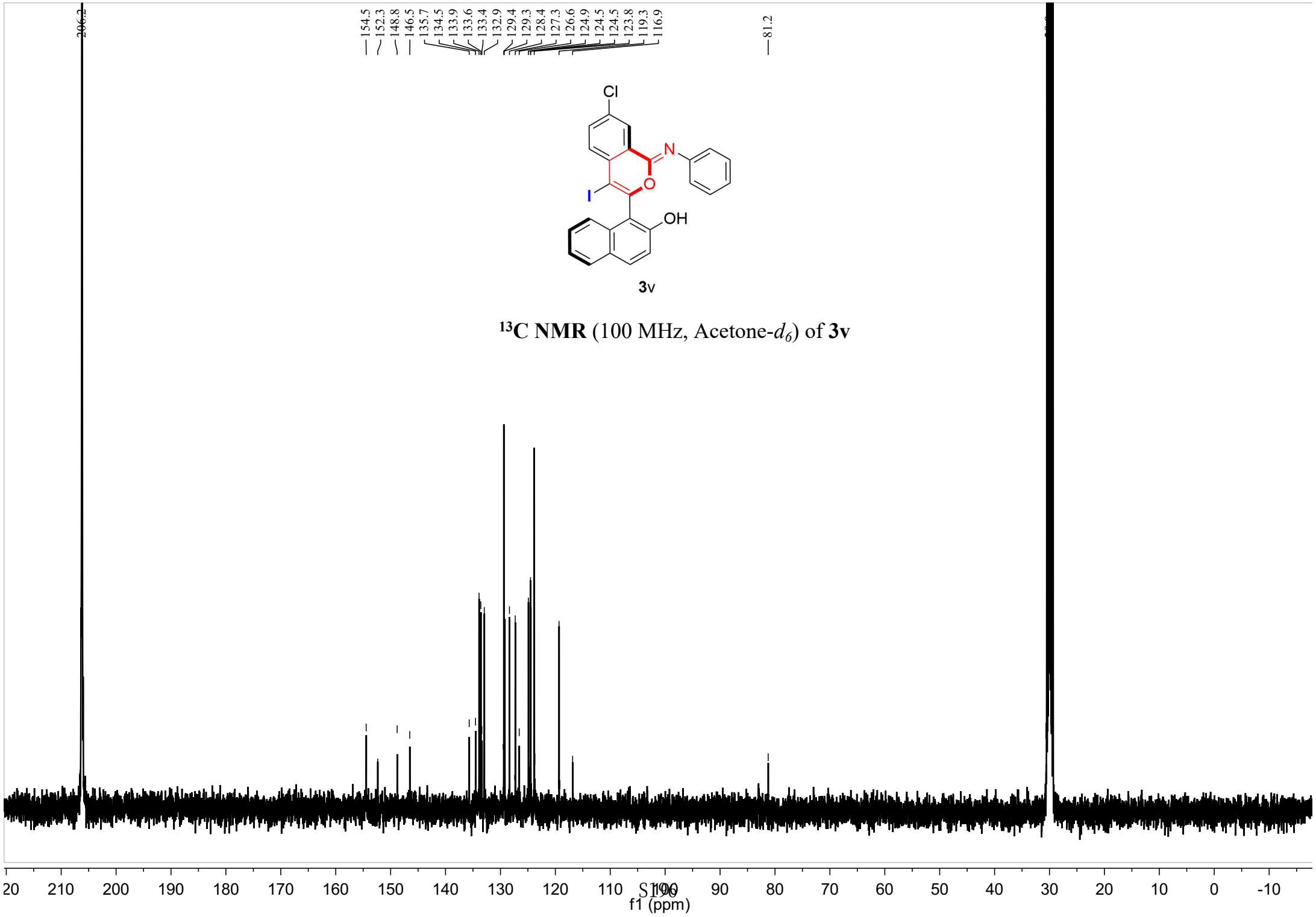
3t

^{13}C NMR (100 MHz, DMSO-d_6) of **3t**



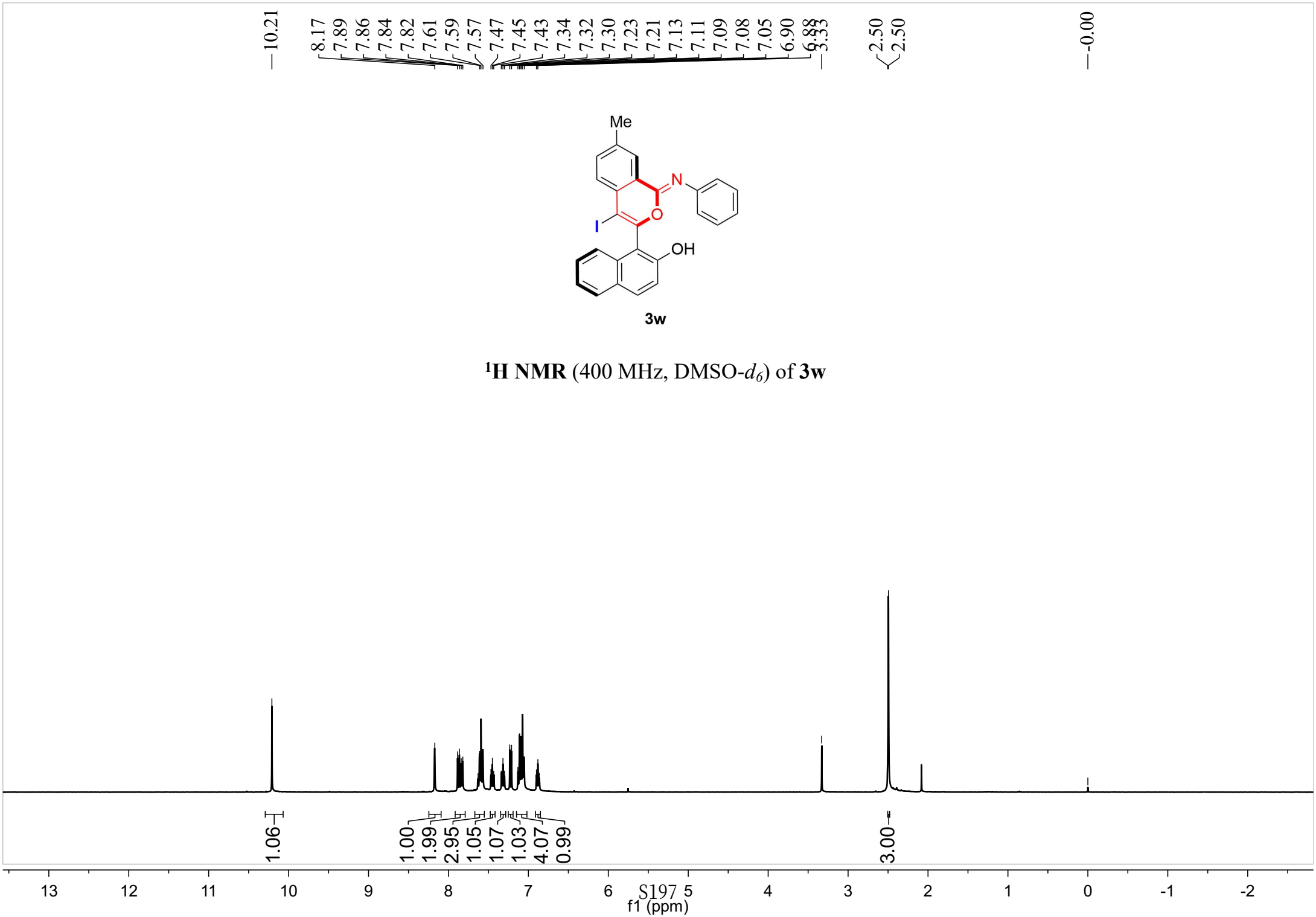
3v

^{1}H NMR (400 MHz, Acetone-d_6) of **3v**



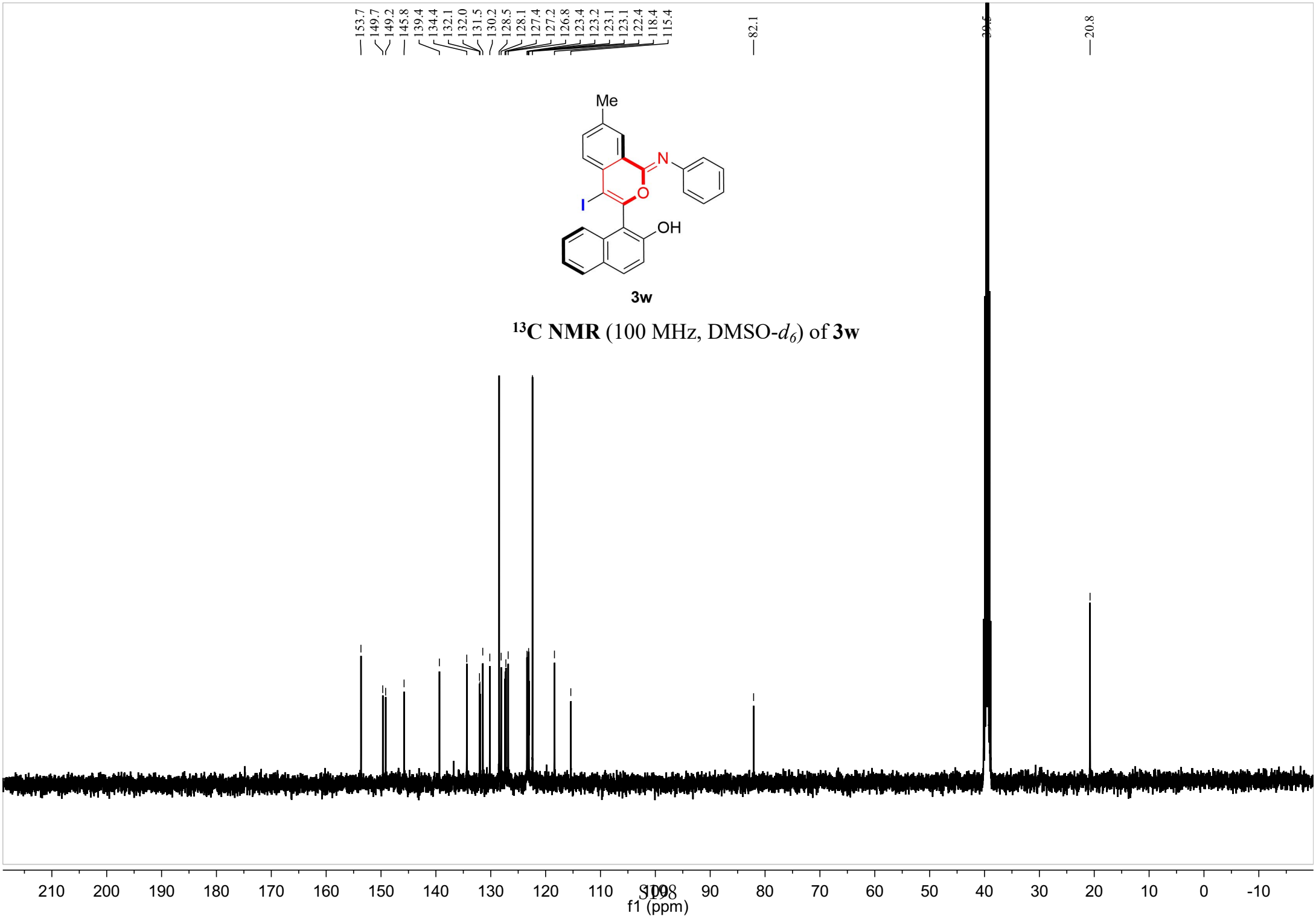
3v

^{13}C NMR (100 MHz, Acetone-d_6) of **3v**



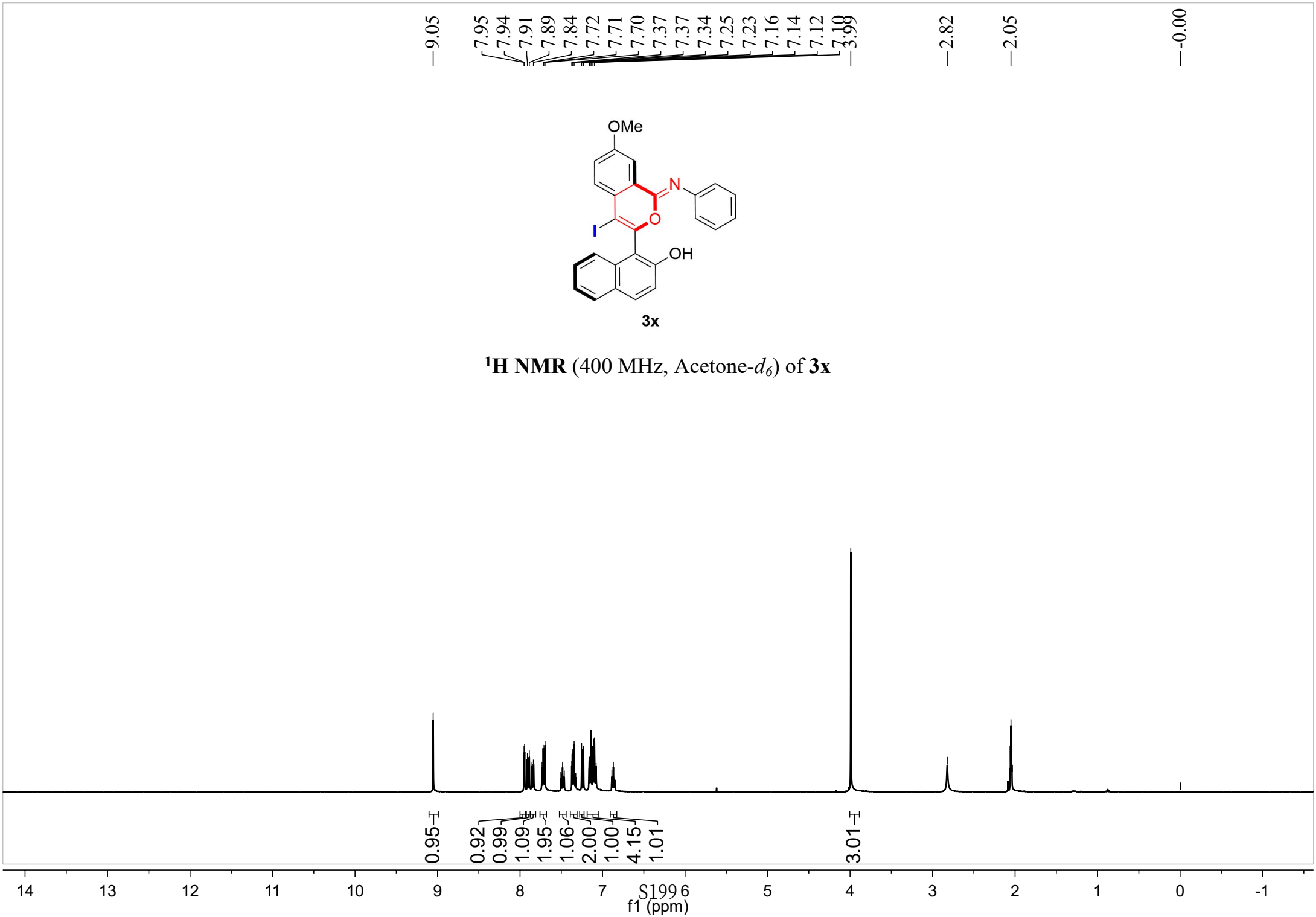
3w

^{1}H NMR (400 MHz, DMSO-d_6) of **3w**



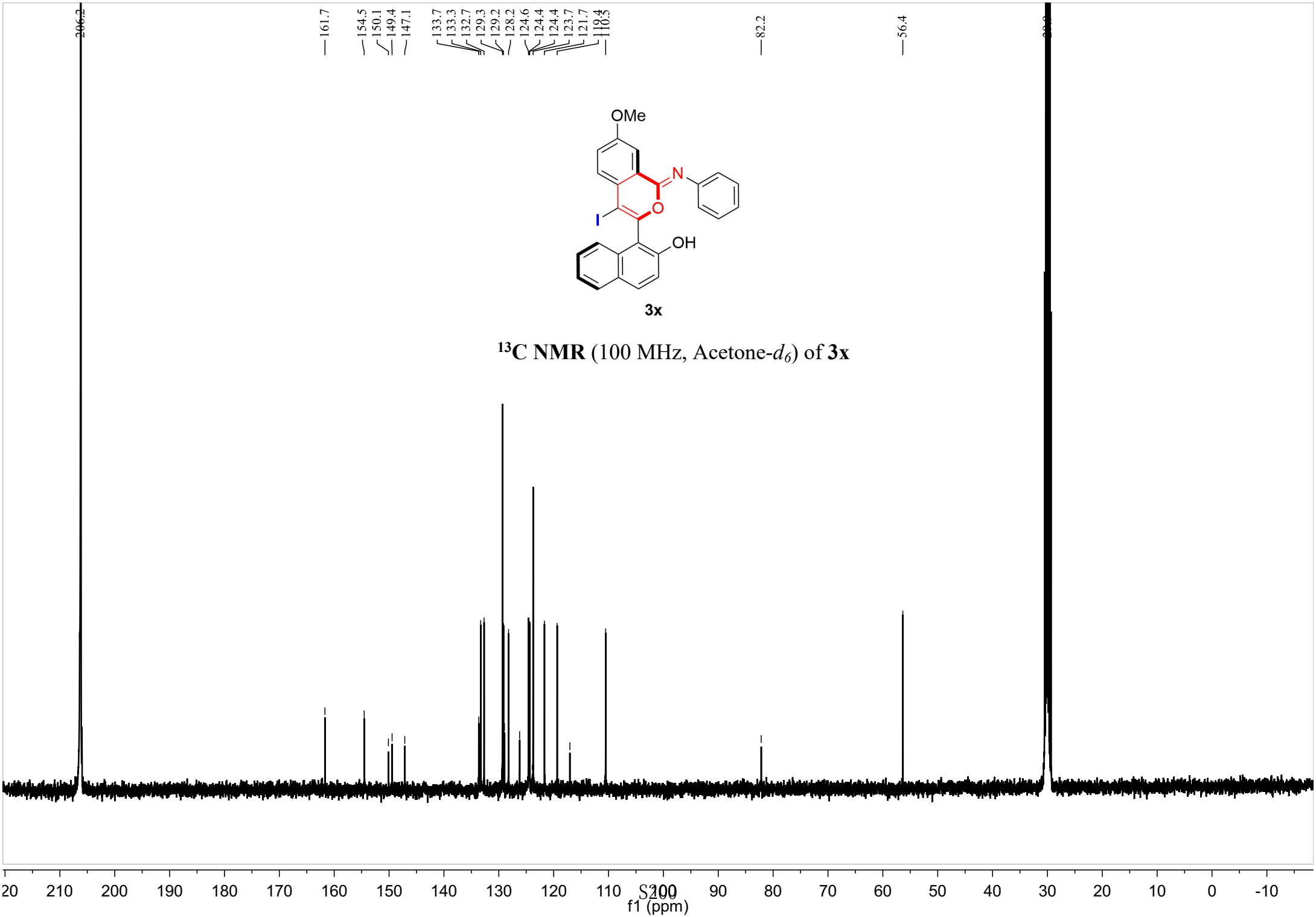
3w

^{13}C NMR (100 MHz, DMSO-d_6) of **3w**



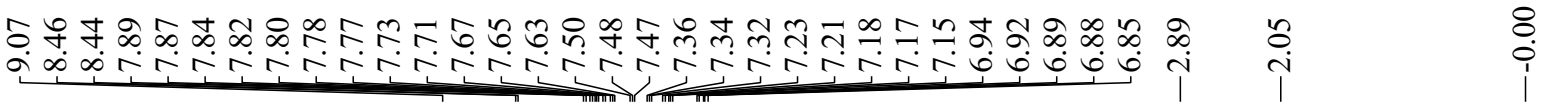
3x

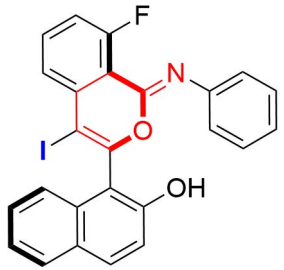
^{1}H NMR (400 MHz, Acetone-d_6) of **3x**



3x

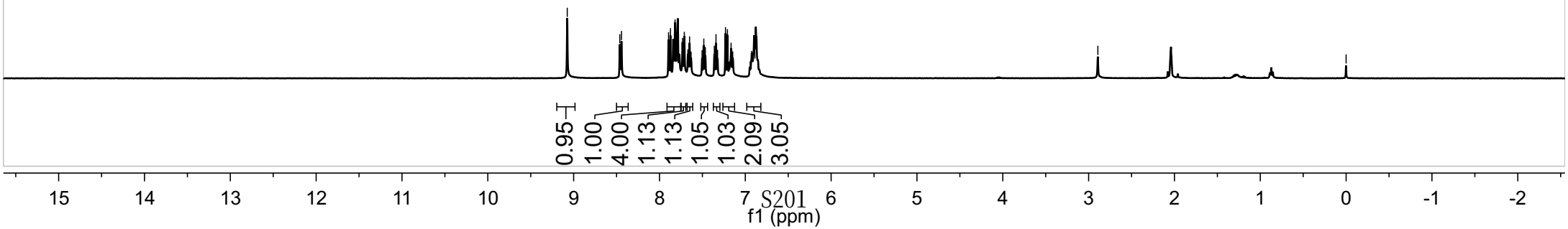
^{13}C NMR (100 MHz, Acetone-d_6) of **3x**

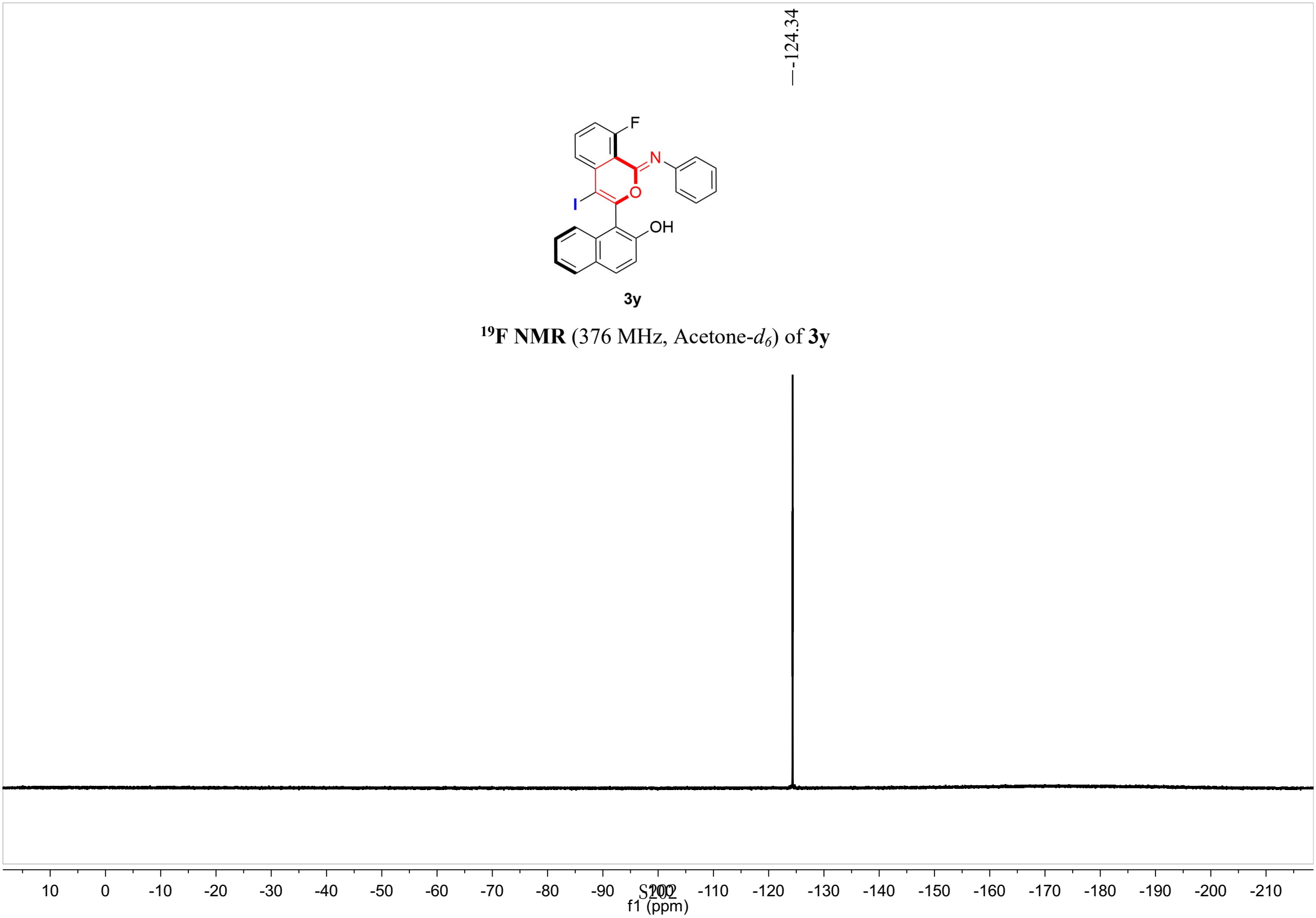




3y

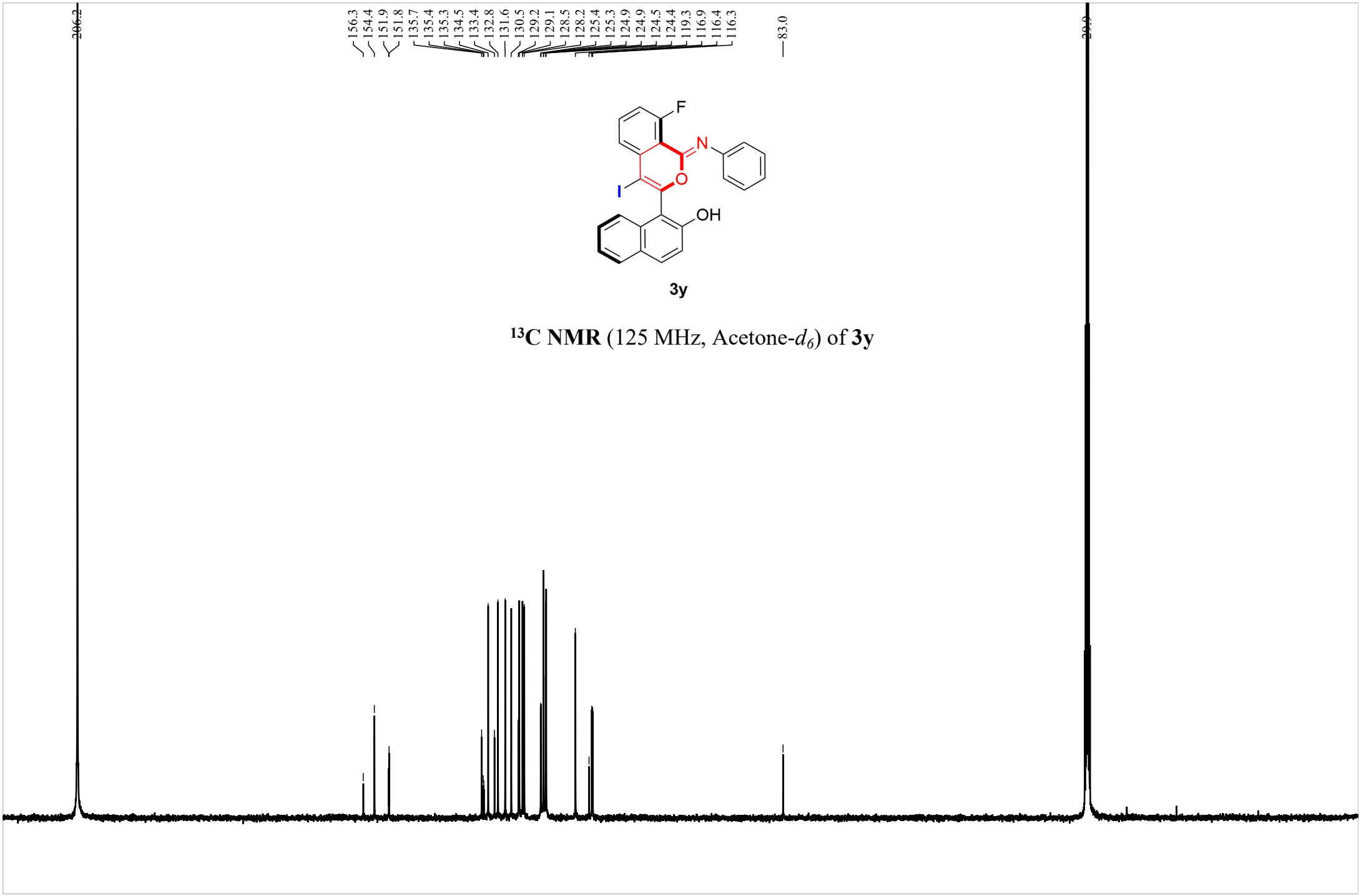
^{1}H NMR (400 MHz, Acetone-d_6) of **3y**





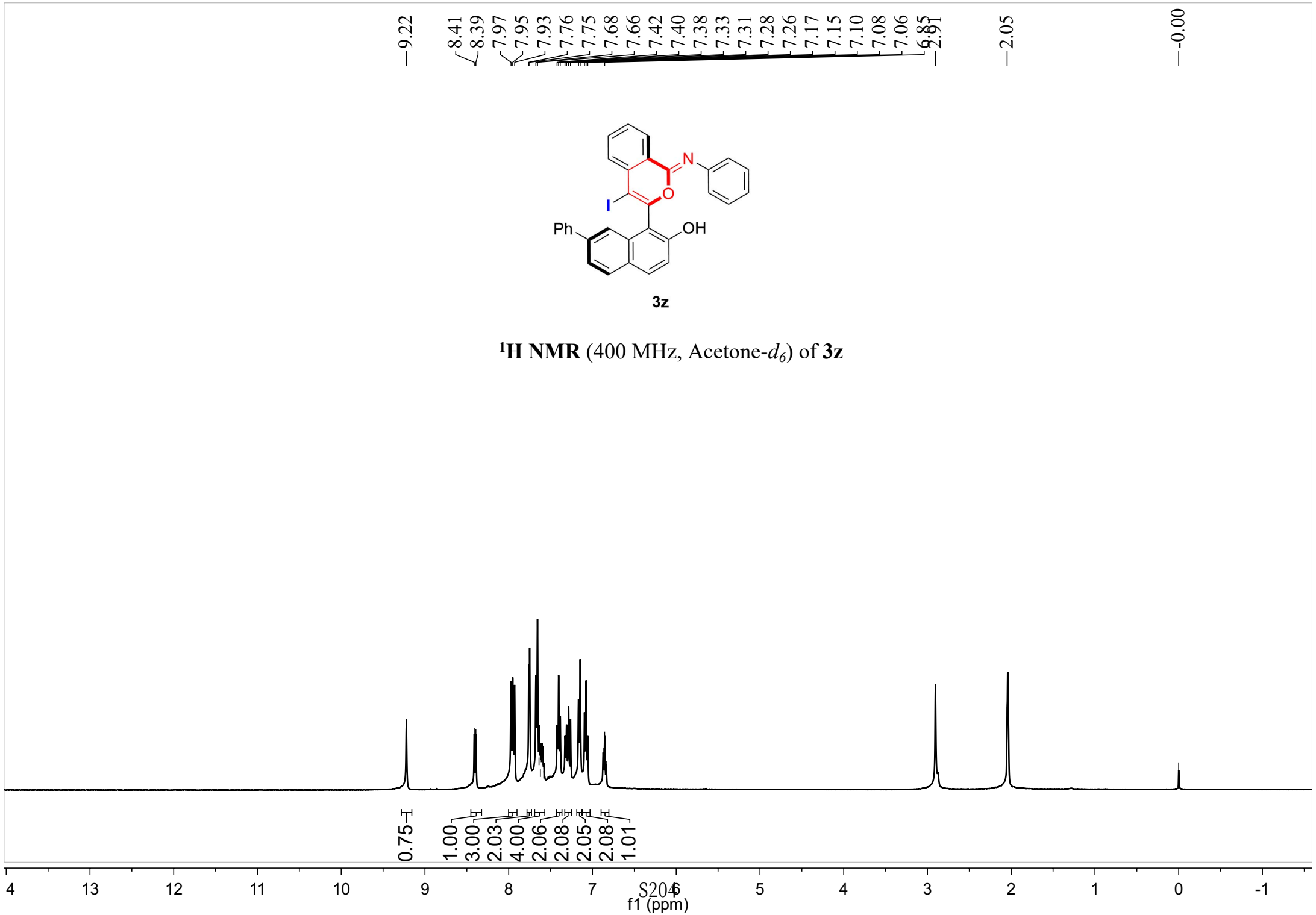
3y

^{19}F NMR (376 MHz, Acetone-d_6) of **3y**



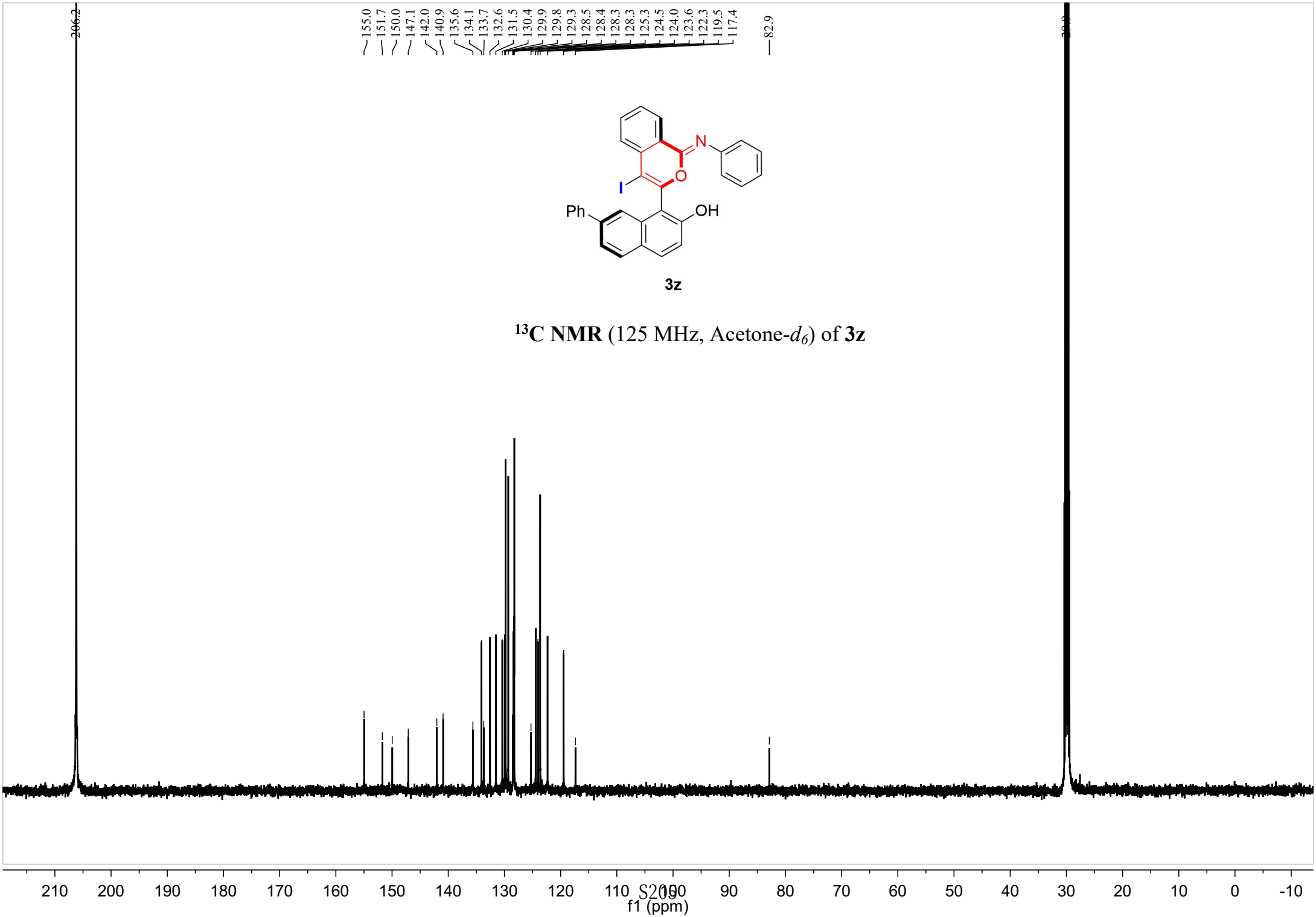
3y

^{13}C NMR (125 MHz, Acetone-d_6) of **3y**



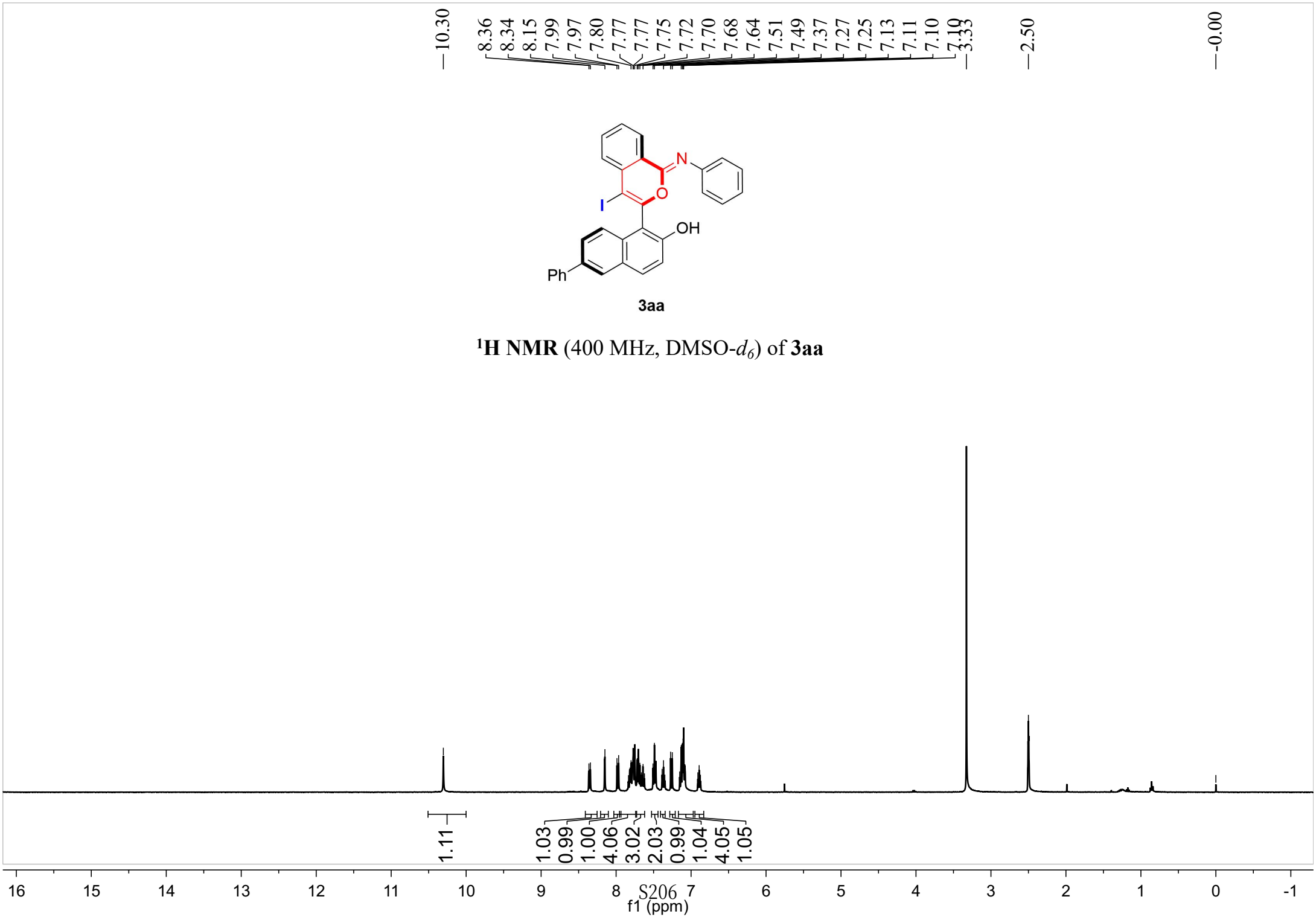
3z

^{1}H NMR (400 MHz, Acetone-d_6) of **3z**



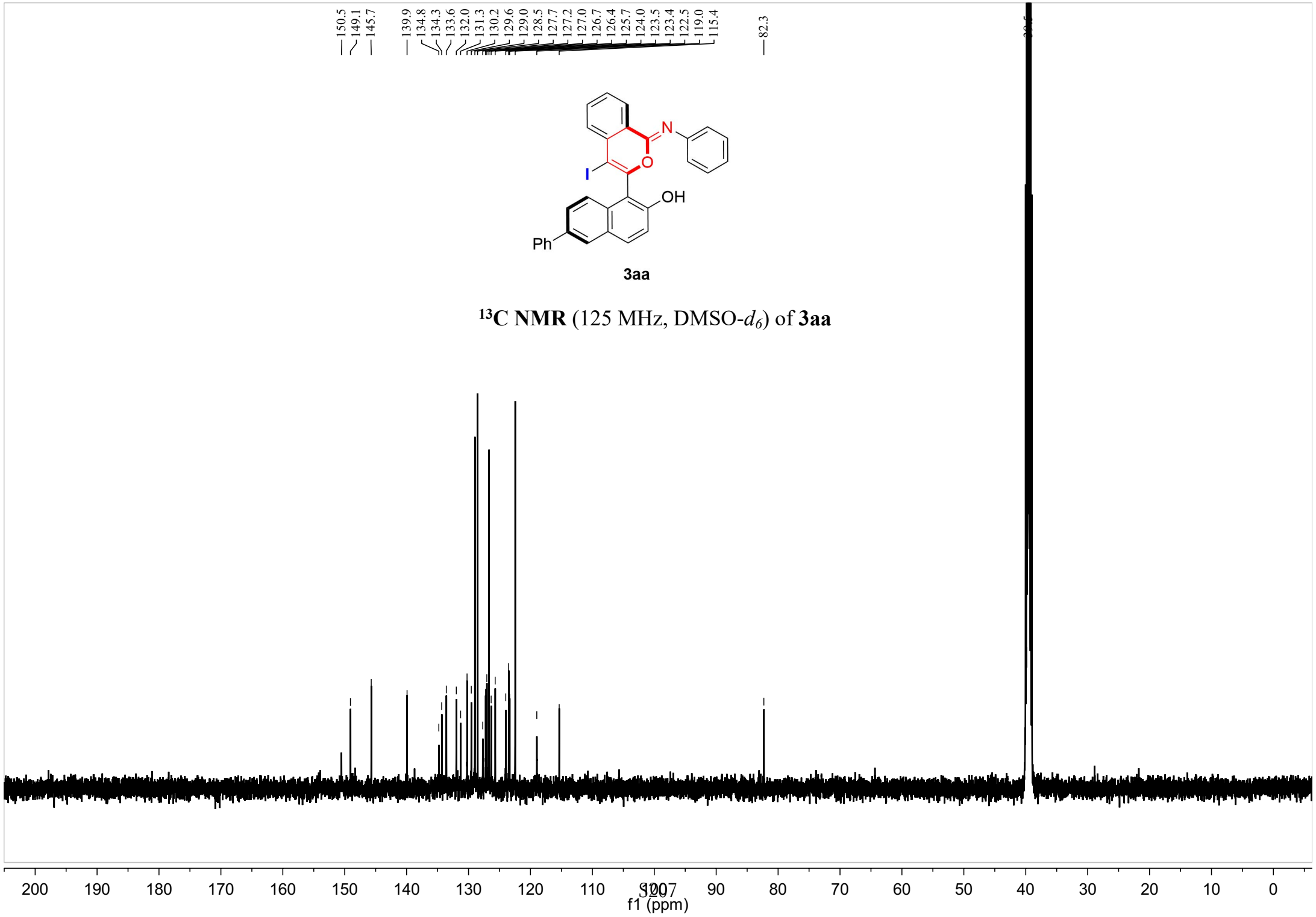
3z

^{13}C NMR (125 MHz, Acetone-d_6) of **3z**



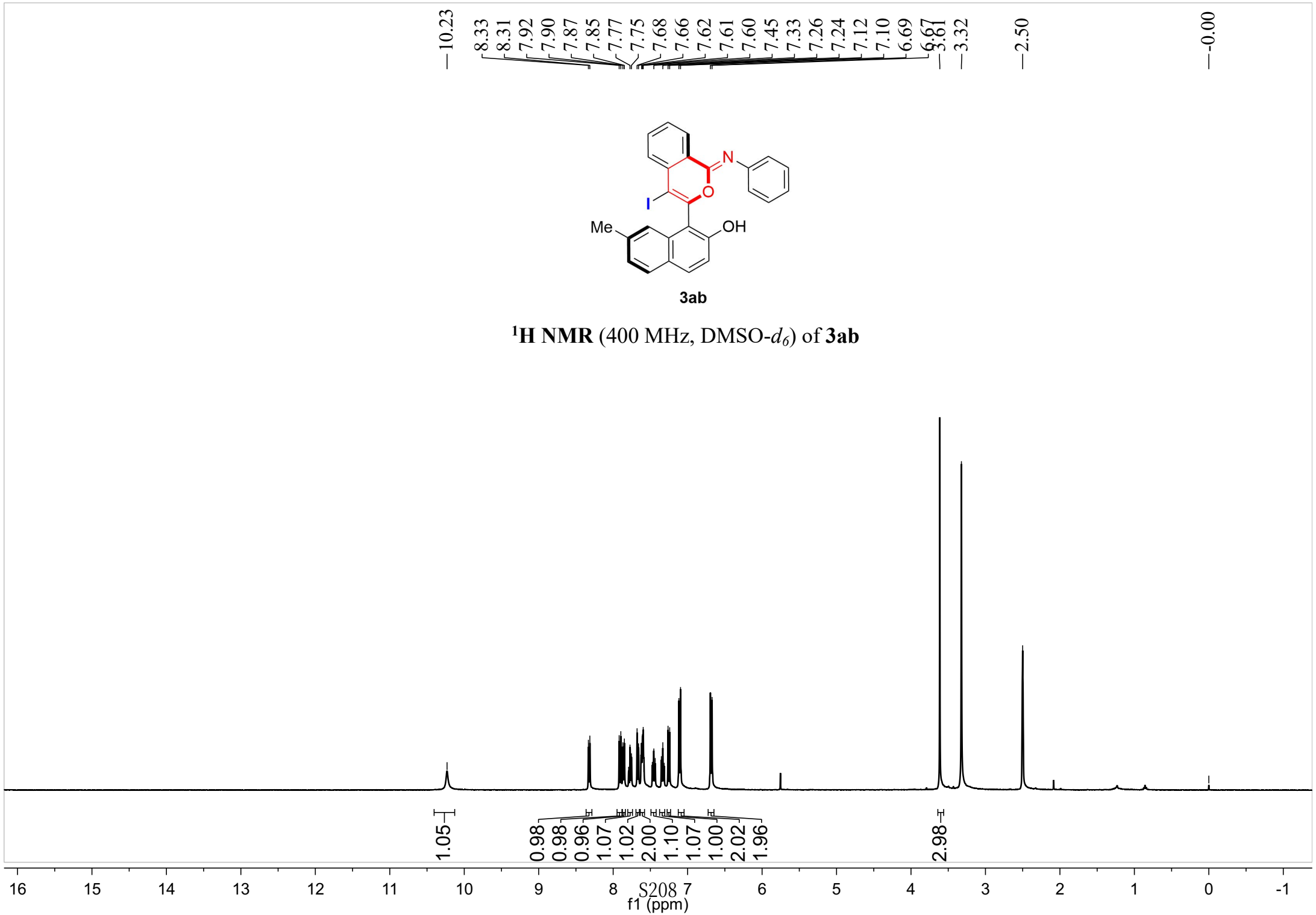
3aa

^{1}H NMR (400 MHz, DMSO-d_6) of **3aa**



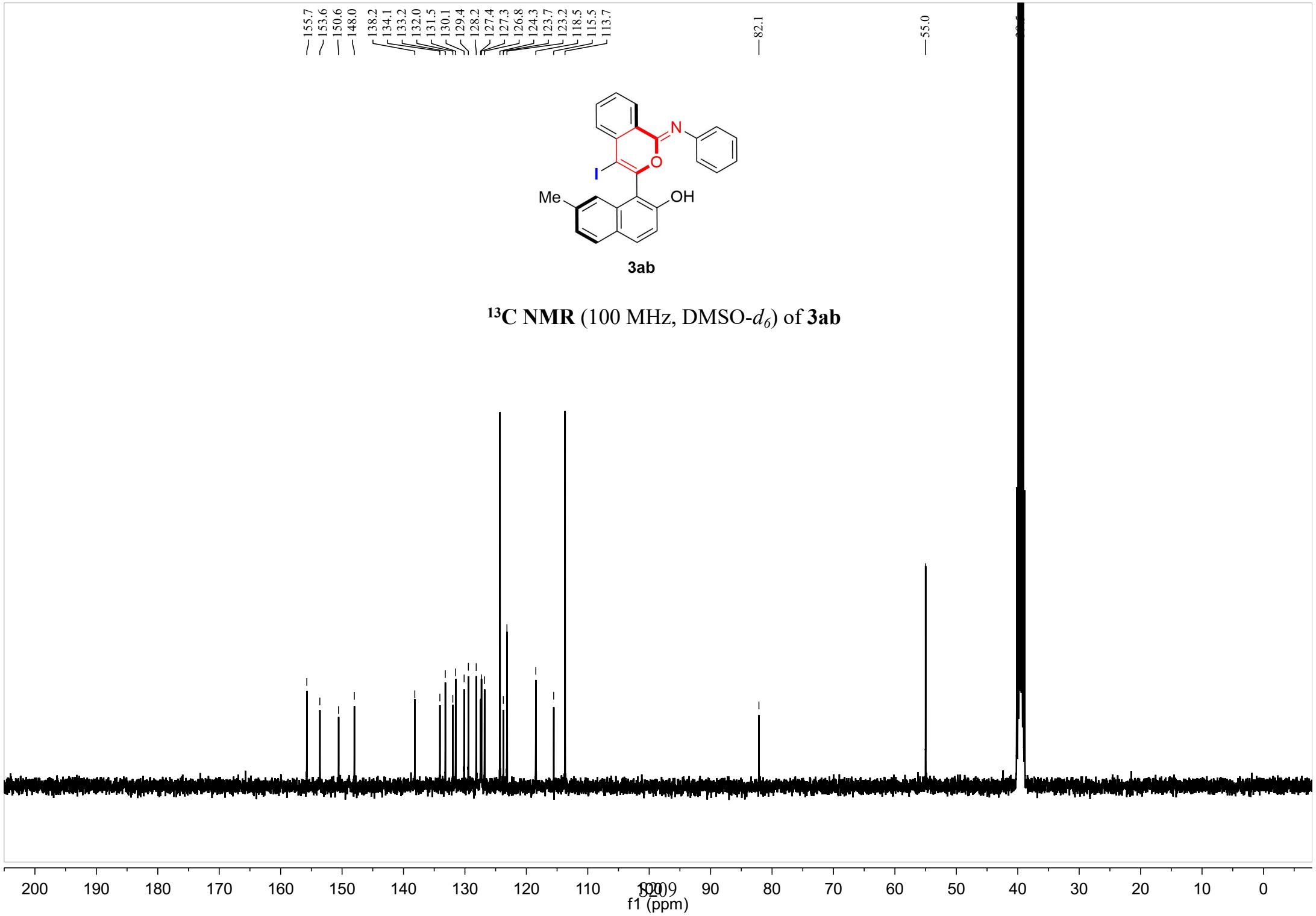
3aa

^{13}C NMR (125 MHz, DMSO-d_6) of **3aa**

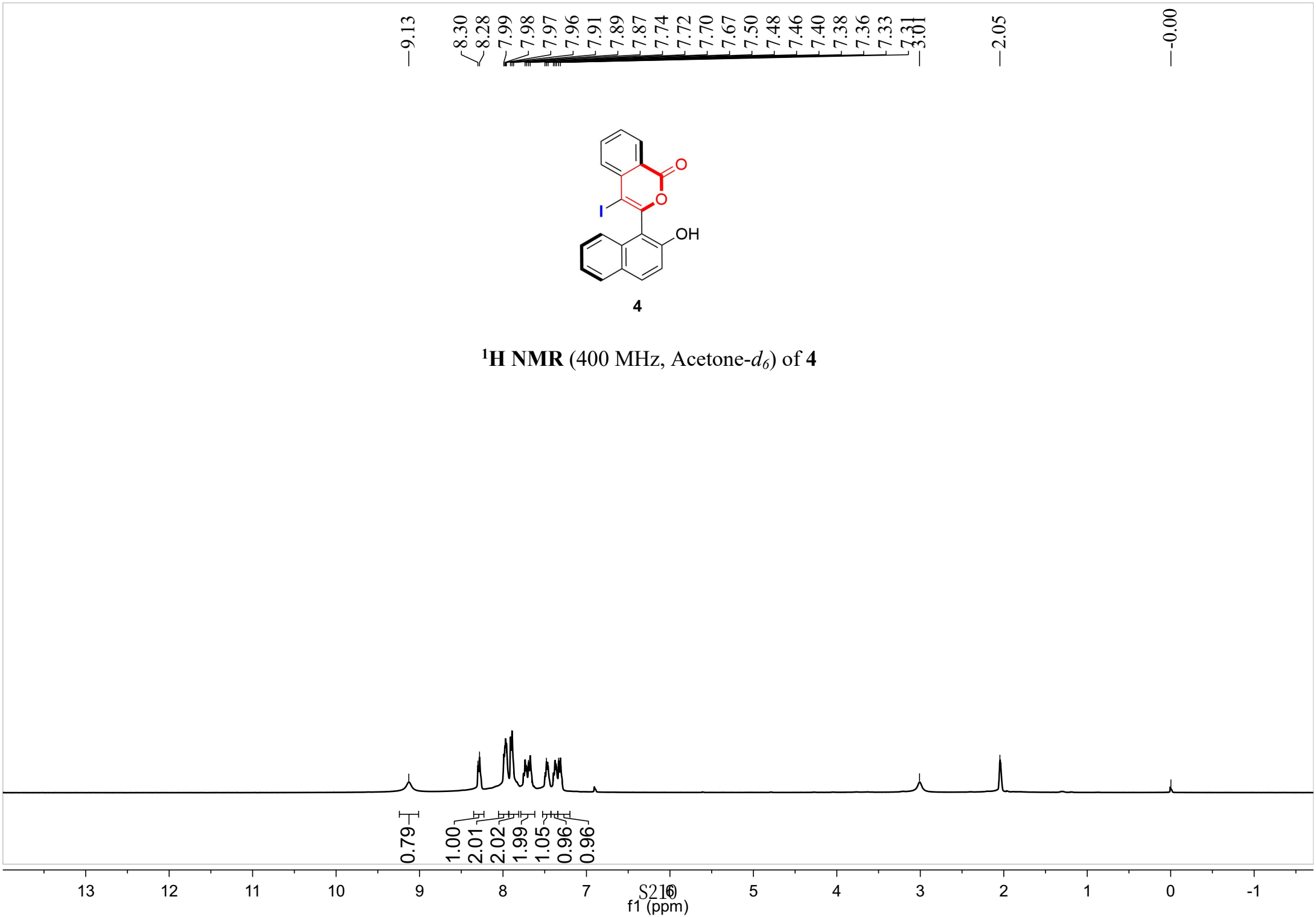


3ab

^{1}H NMR (400 MHz, DMSO-d_6) of **3ab**

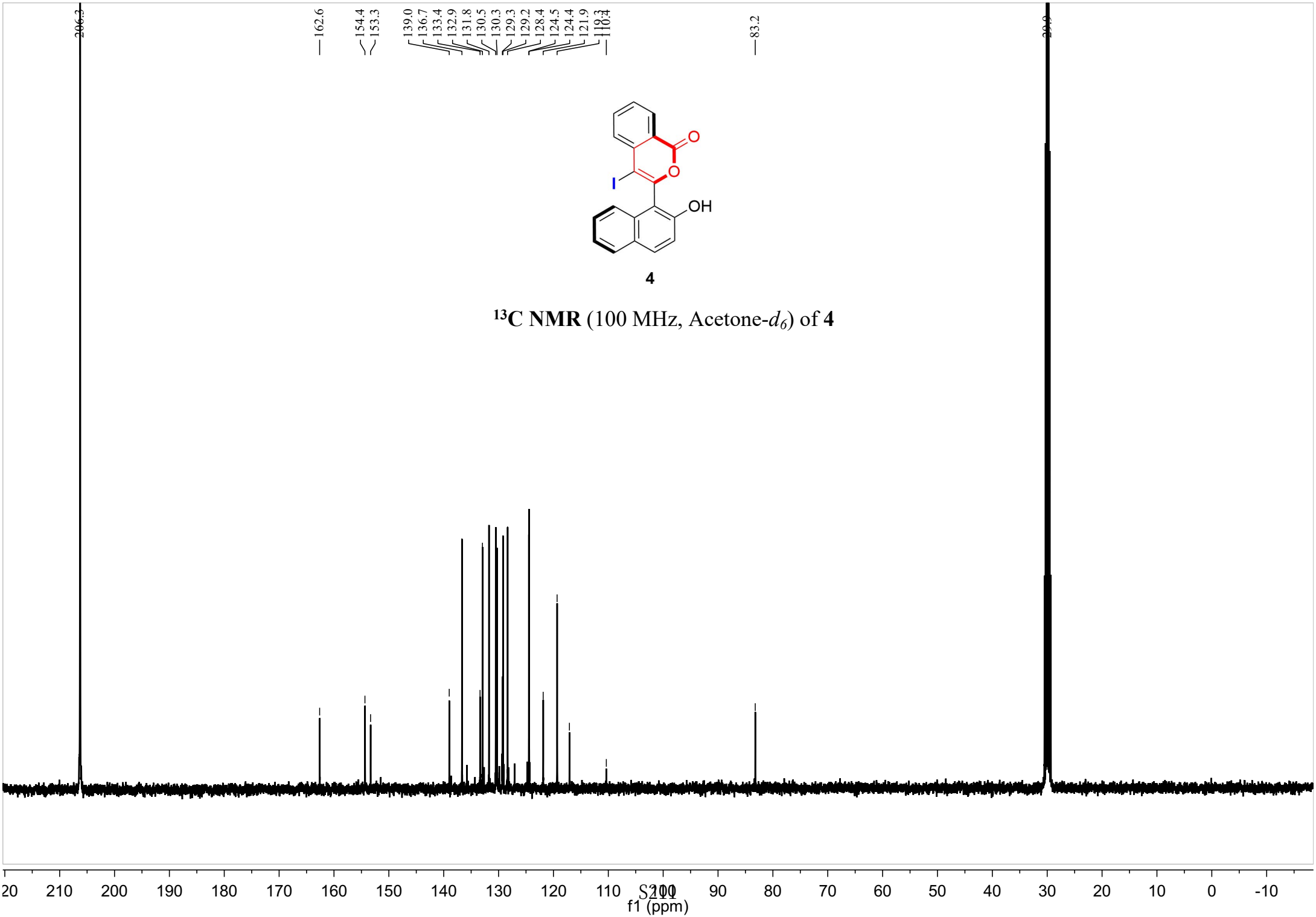


^{13}C NMR (100 MHz, DMSO-d_6) of **3ab**



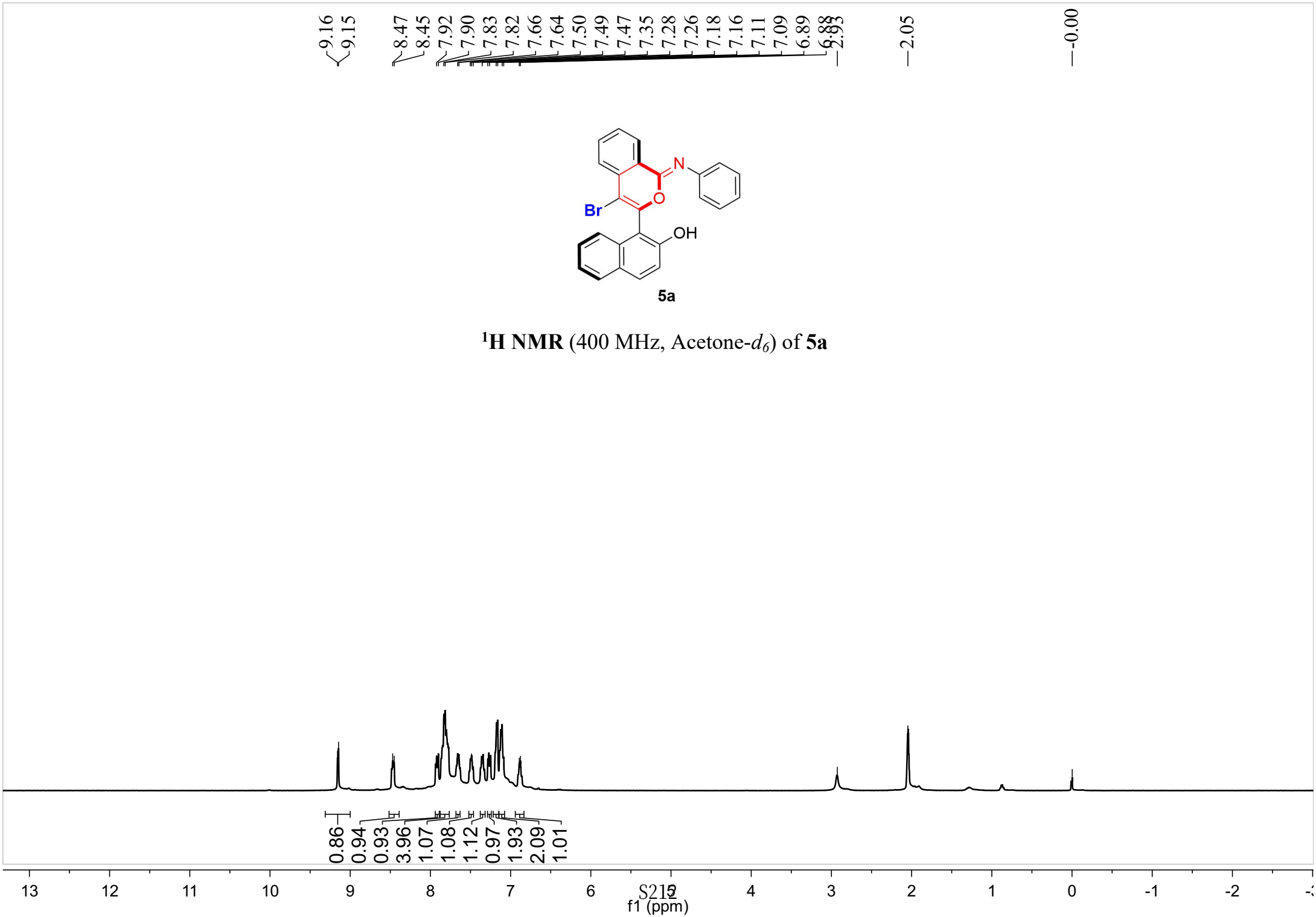
4

^{1}H NMR (400 MHz, Acetone-d_6) of **4**



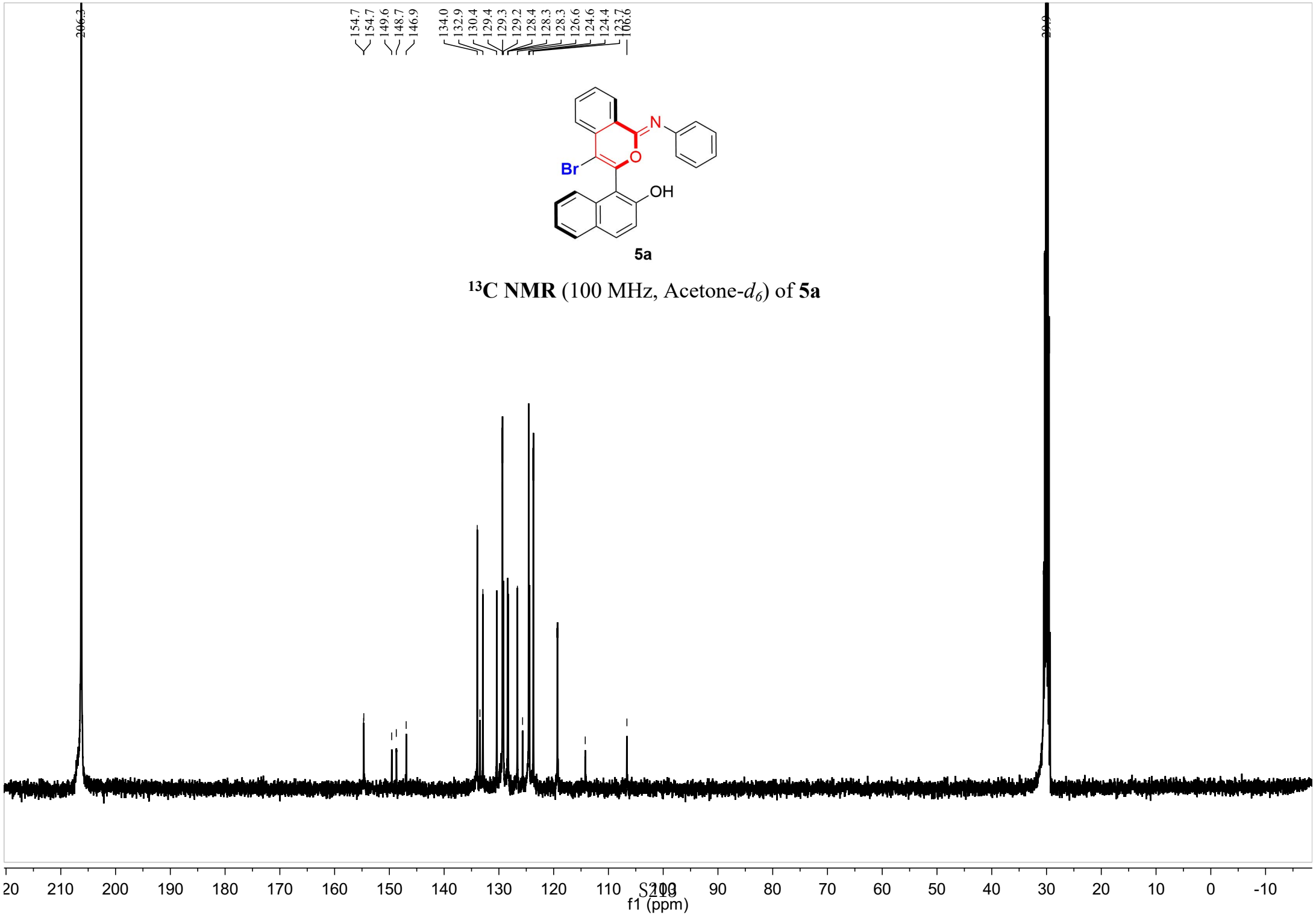
4

^{13}C NMR (100 MHz, Acetone-d_6) of **4**

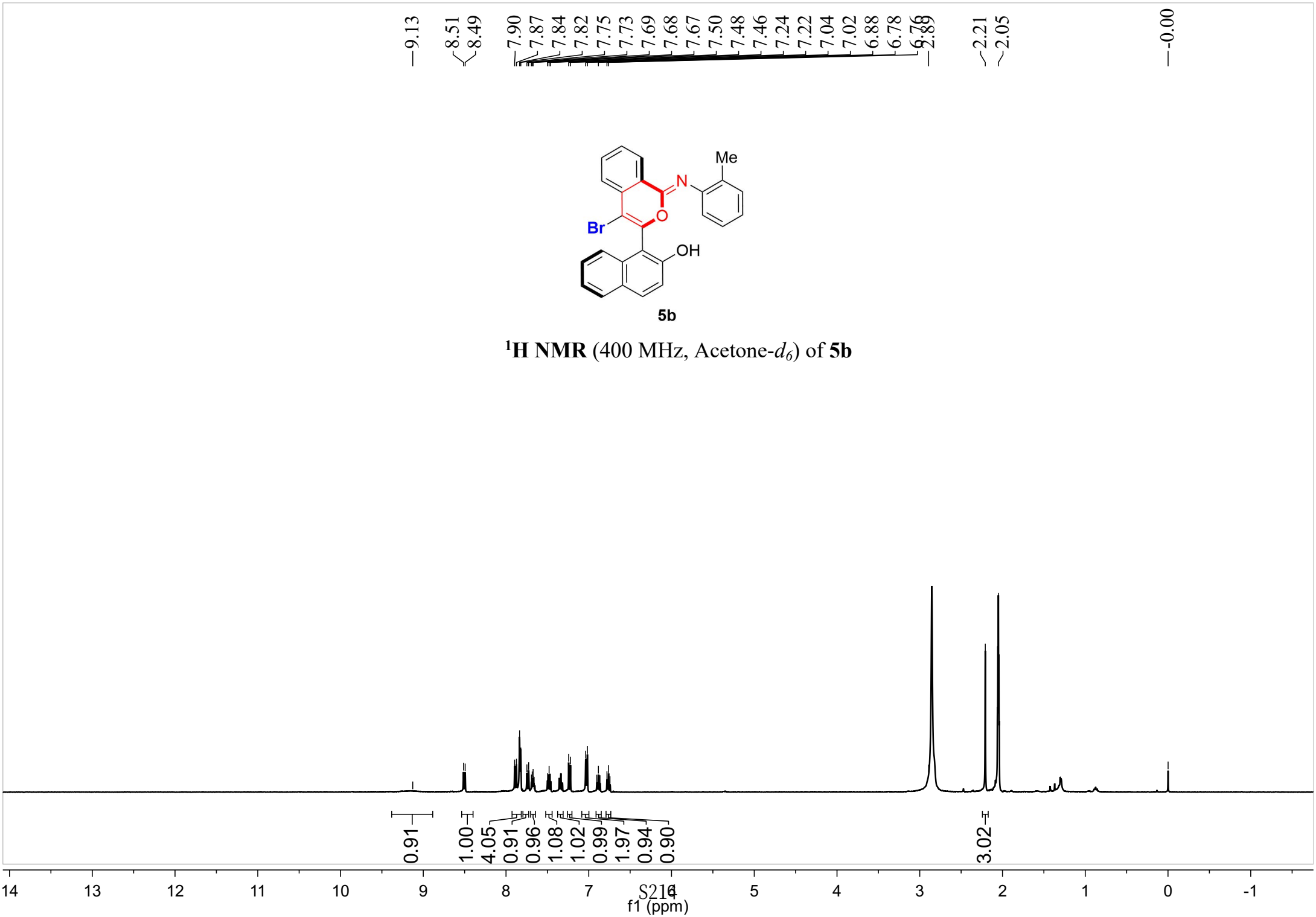


5a

^{1}H NMR (400 MHz, Acetone-d_6) of **5a**

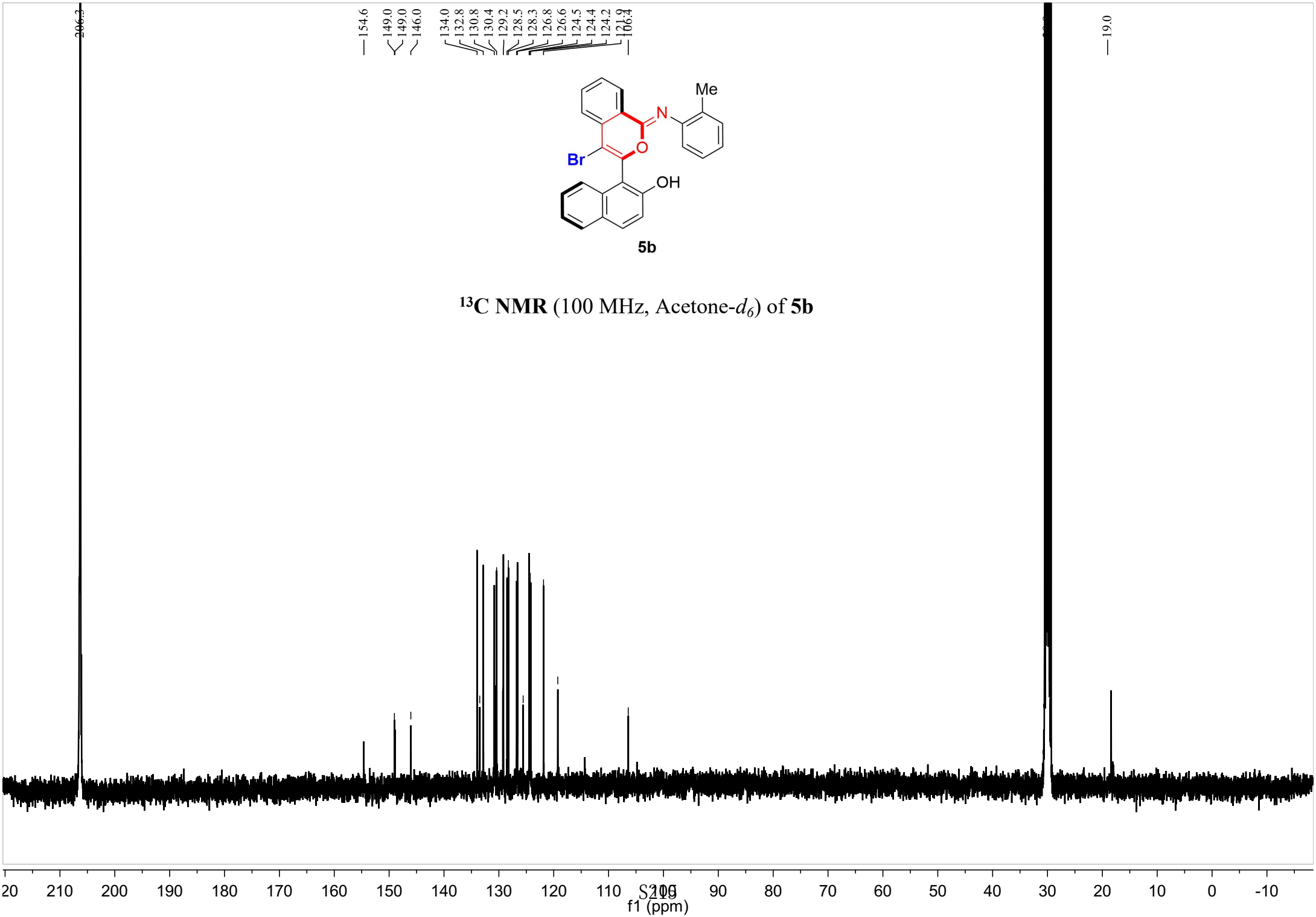


^{13}C NMR (100 MHz, Acetone-d_6) of **5a**



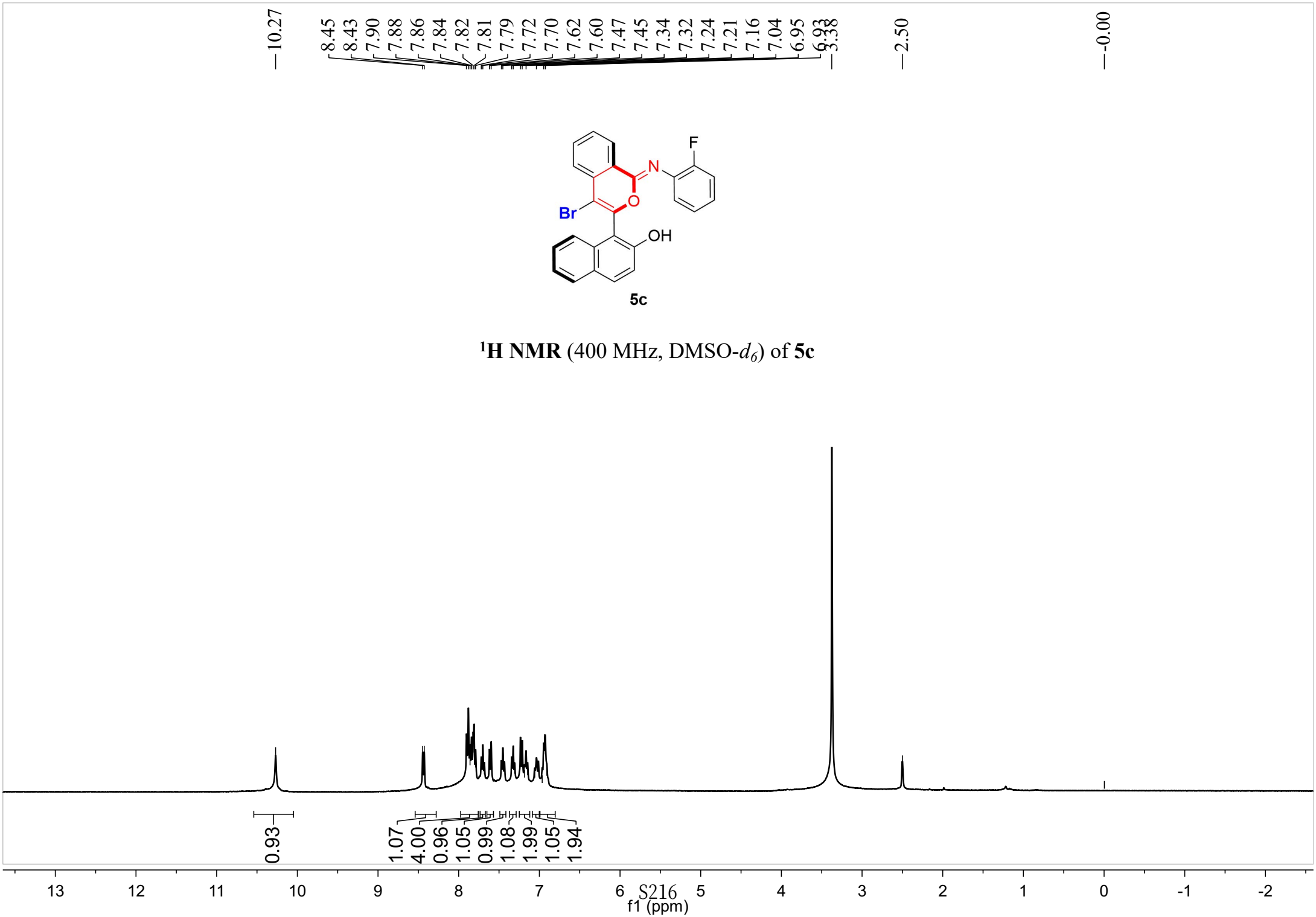
5b

^{1}H NMR (400 MHz, Acetone-d_6) of **5b**

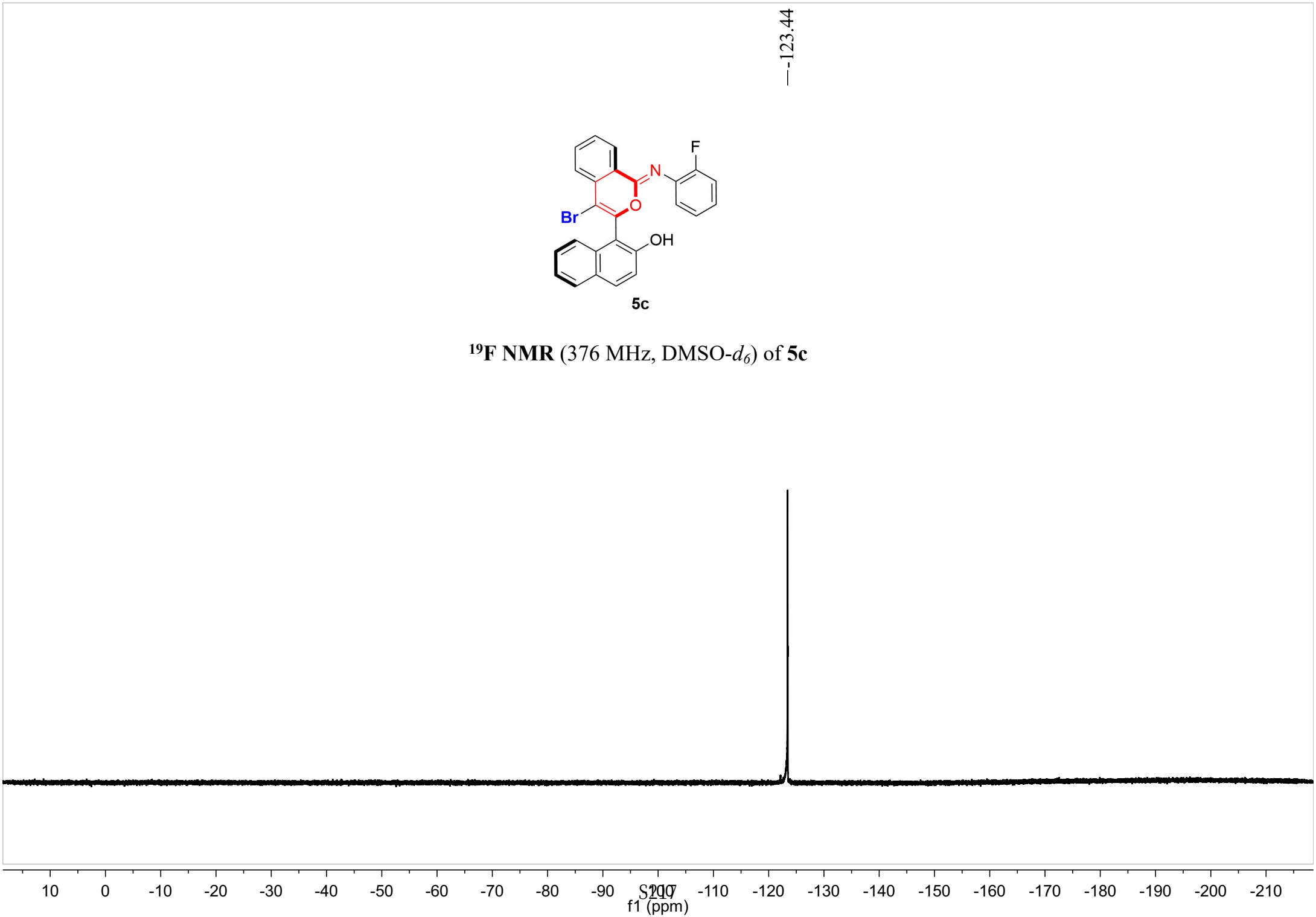


5b

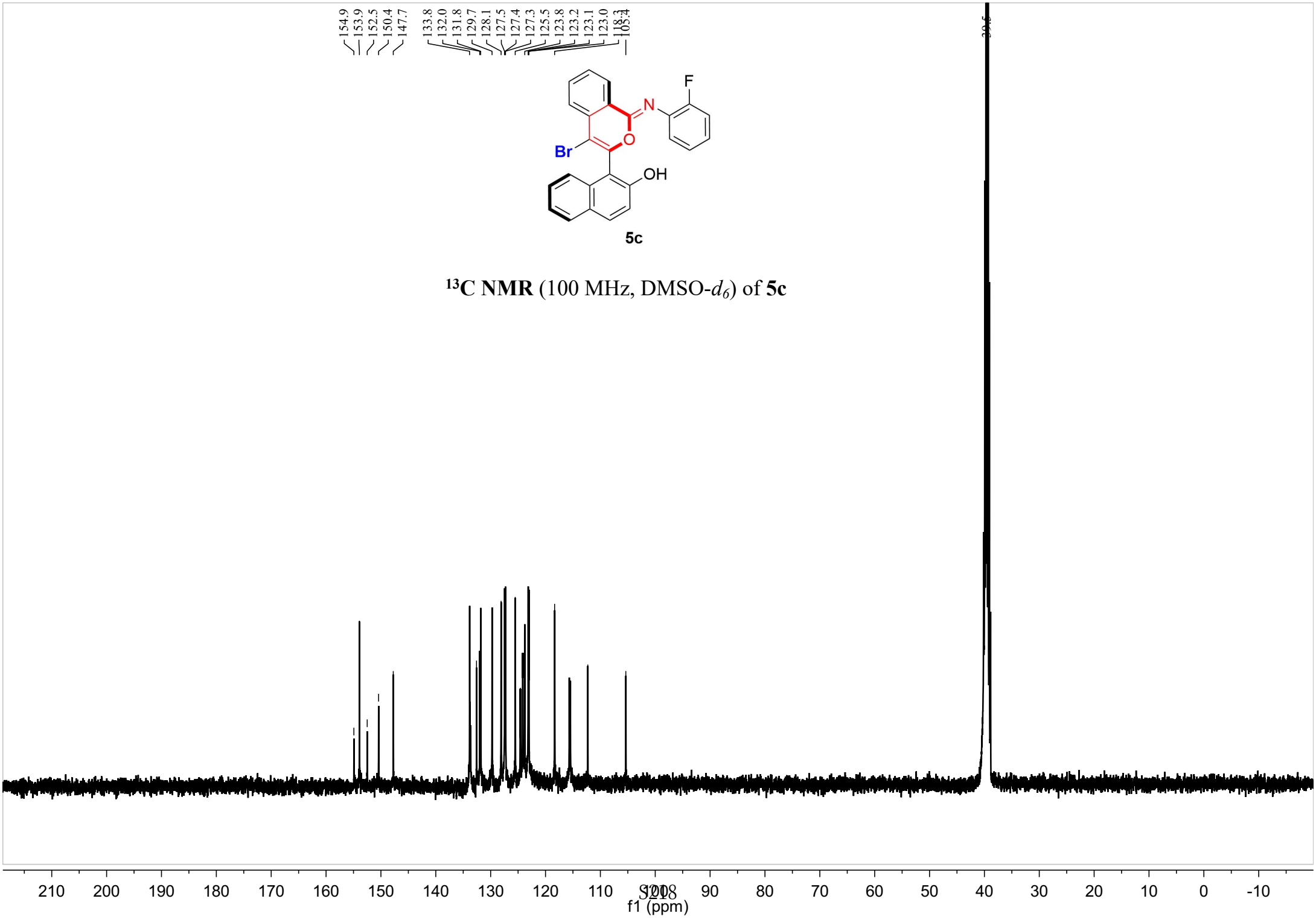
^{13}C NMR (100 MHz, Acetone-d_6) of **5b**



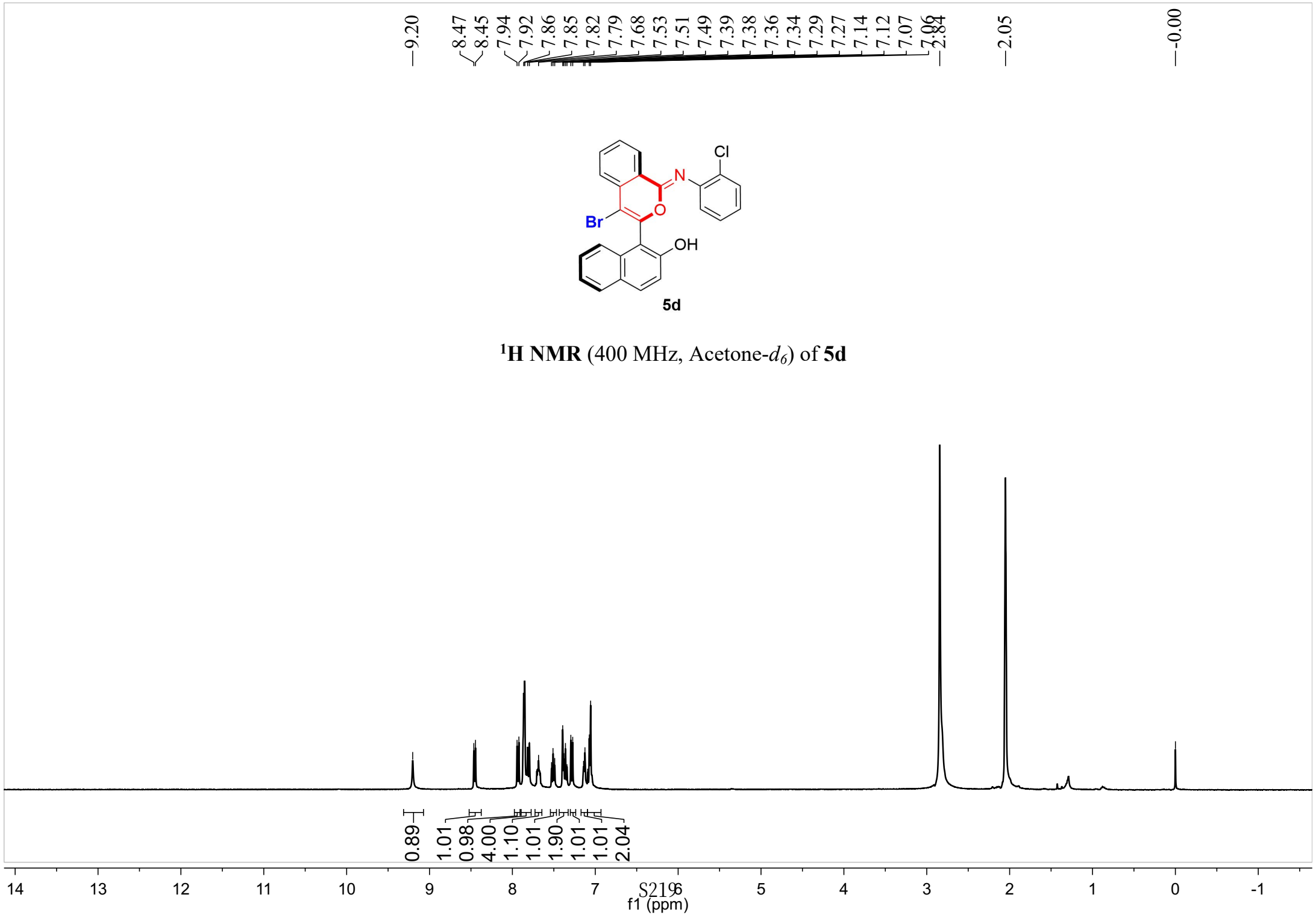
^{1}H NMR (400 MHz, DMSO-d_6) of **5c**



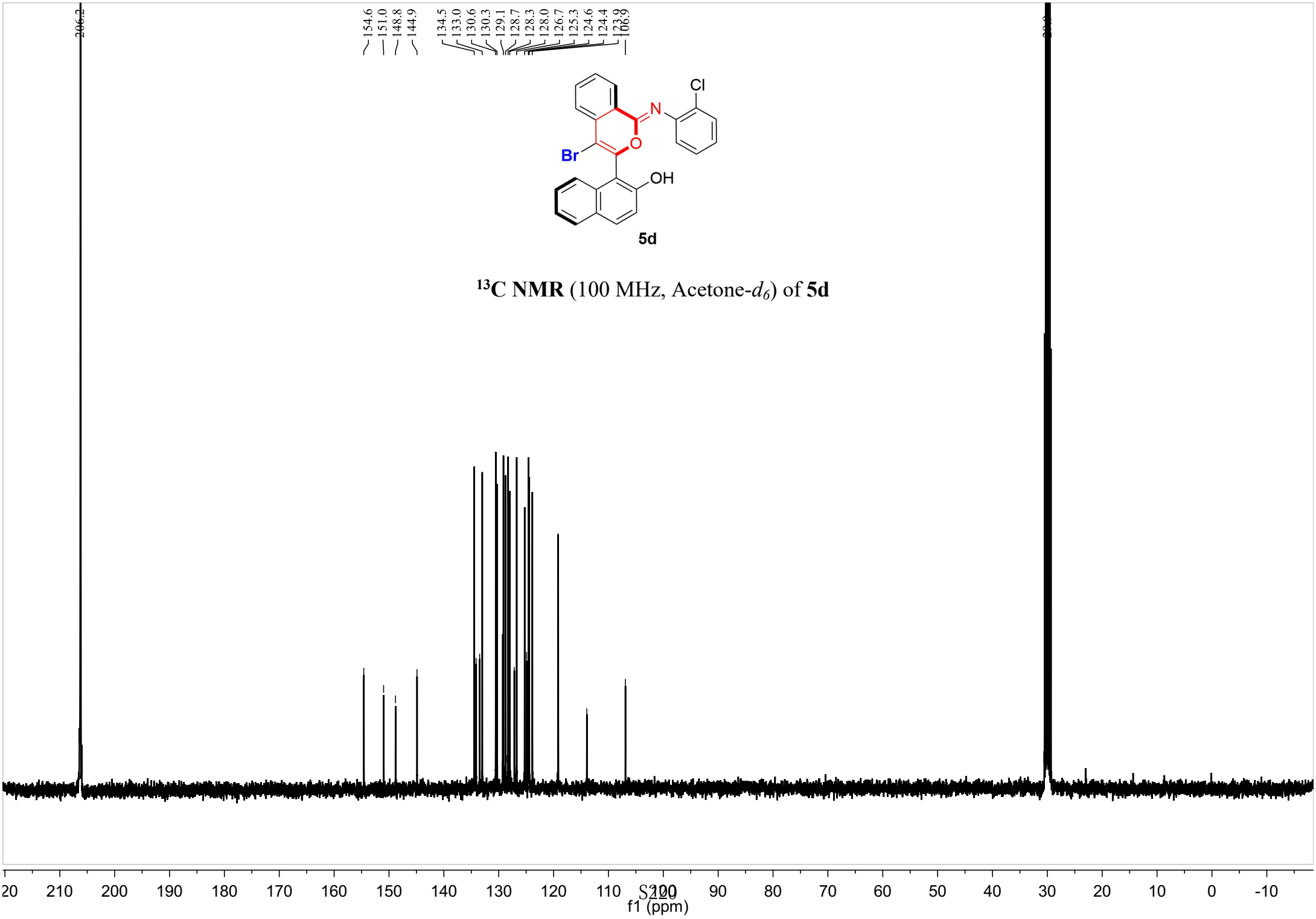
^{19}F NMR (376 MHz, DMSO-d_6) of **5c**



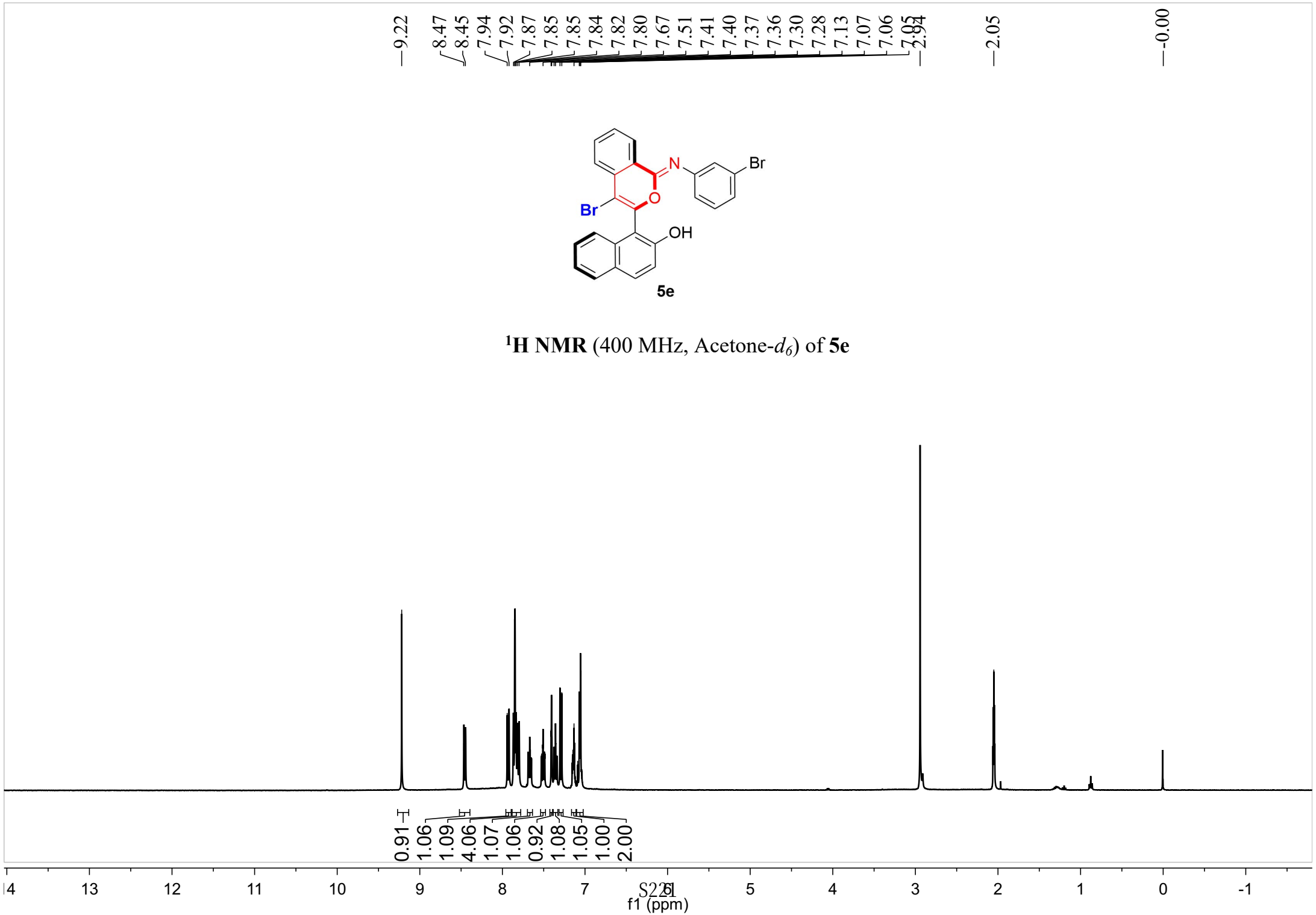
^{13}C NMR (100 MHz, DMSO-d_6) of **5c**



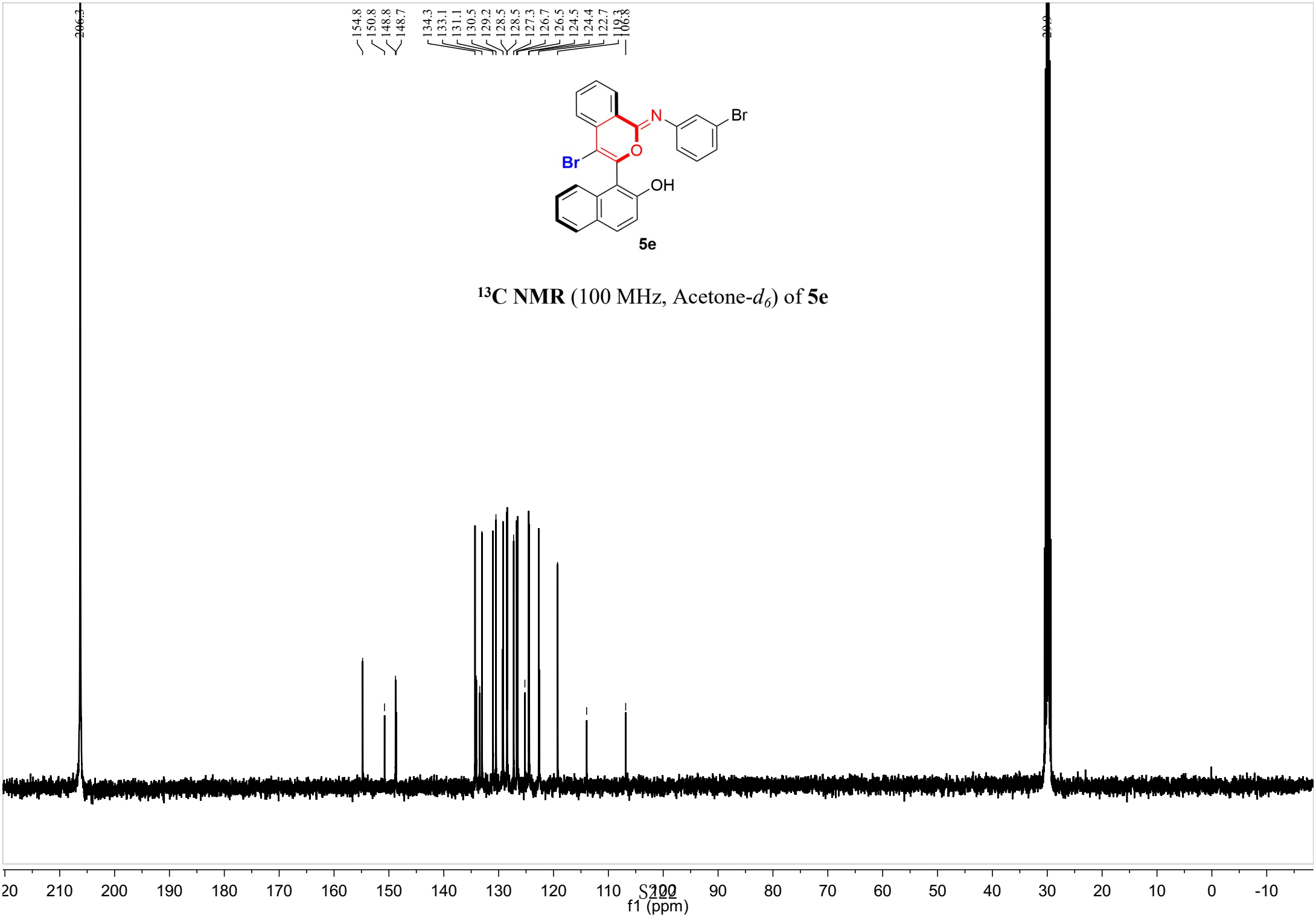
^{1}H NMR (400 MHz, Acetone-d_6) of **5d**



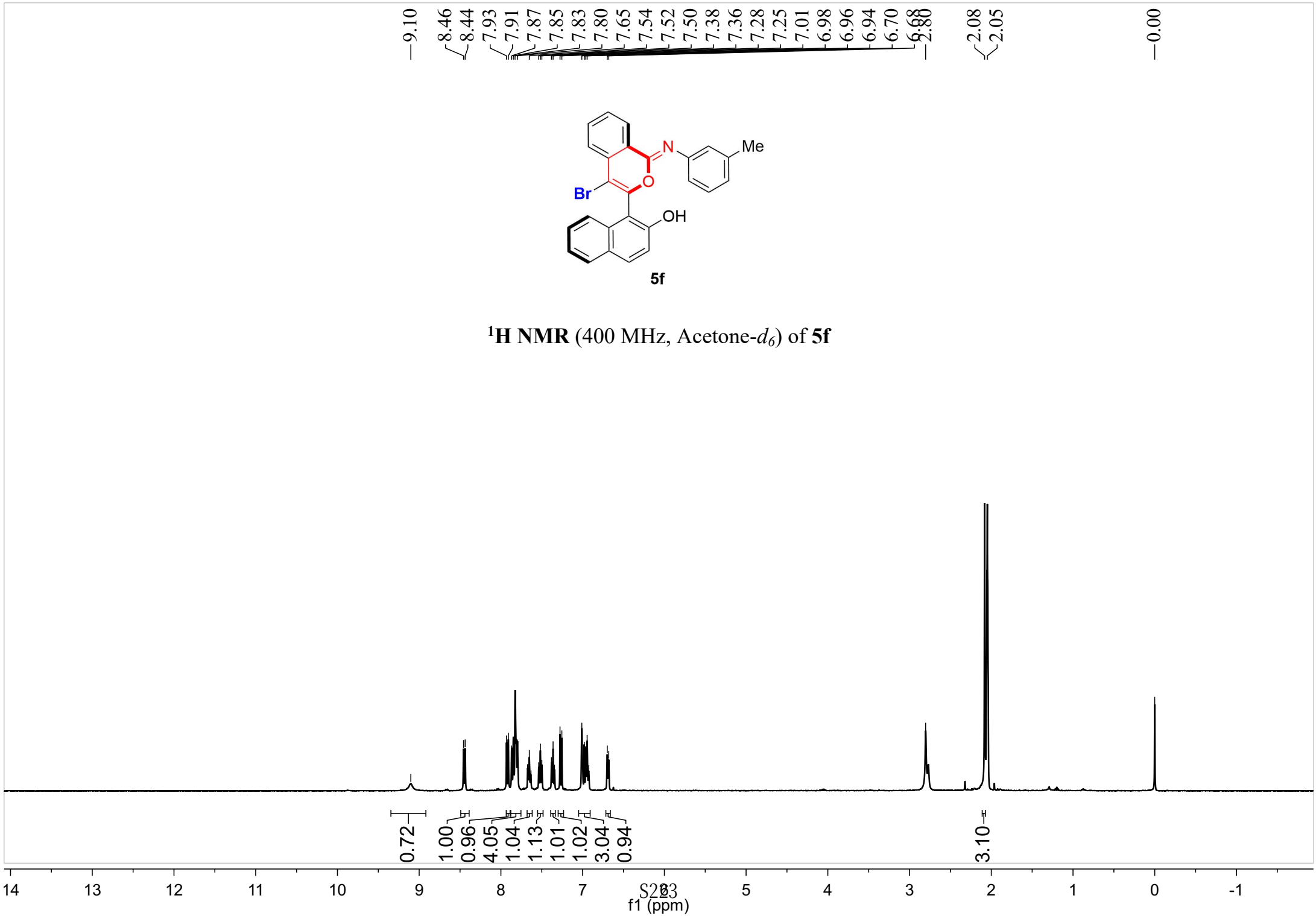
^{13}C NMR (100 MHz, Acetone-d_6) of **5d**



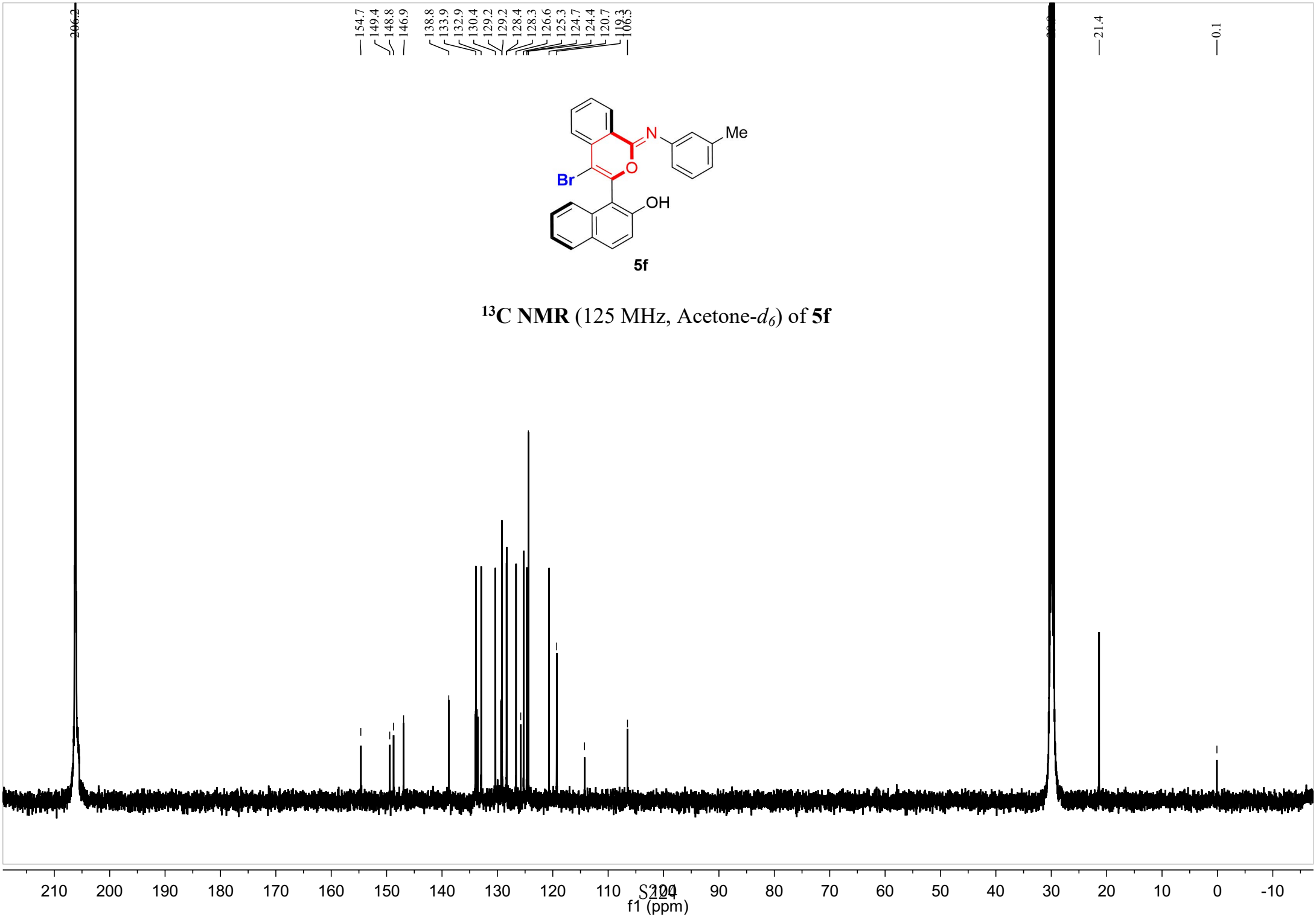
^{1}H NMR (400 MHz, Acetone-d_6) of **5e**



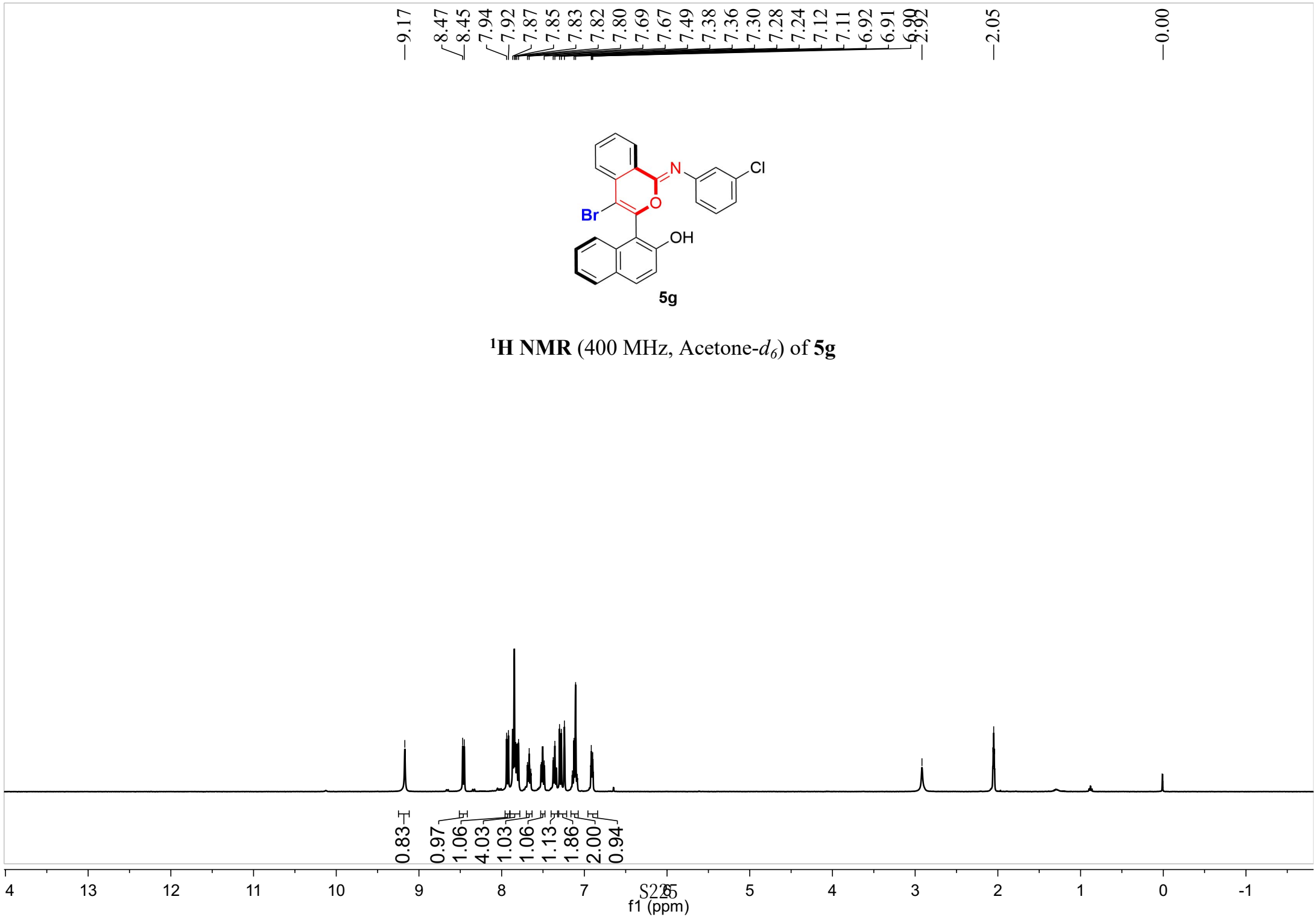
^{13}C NMR (100 MHz, Acetone-d_6) of **5e**



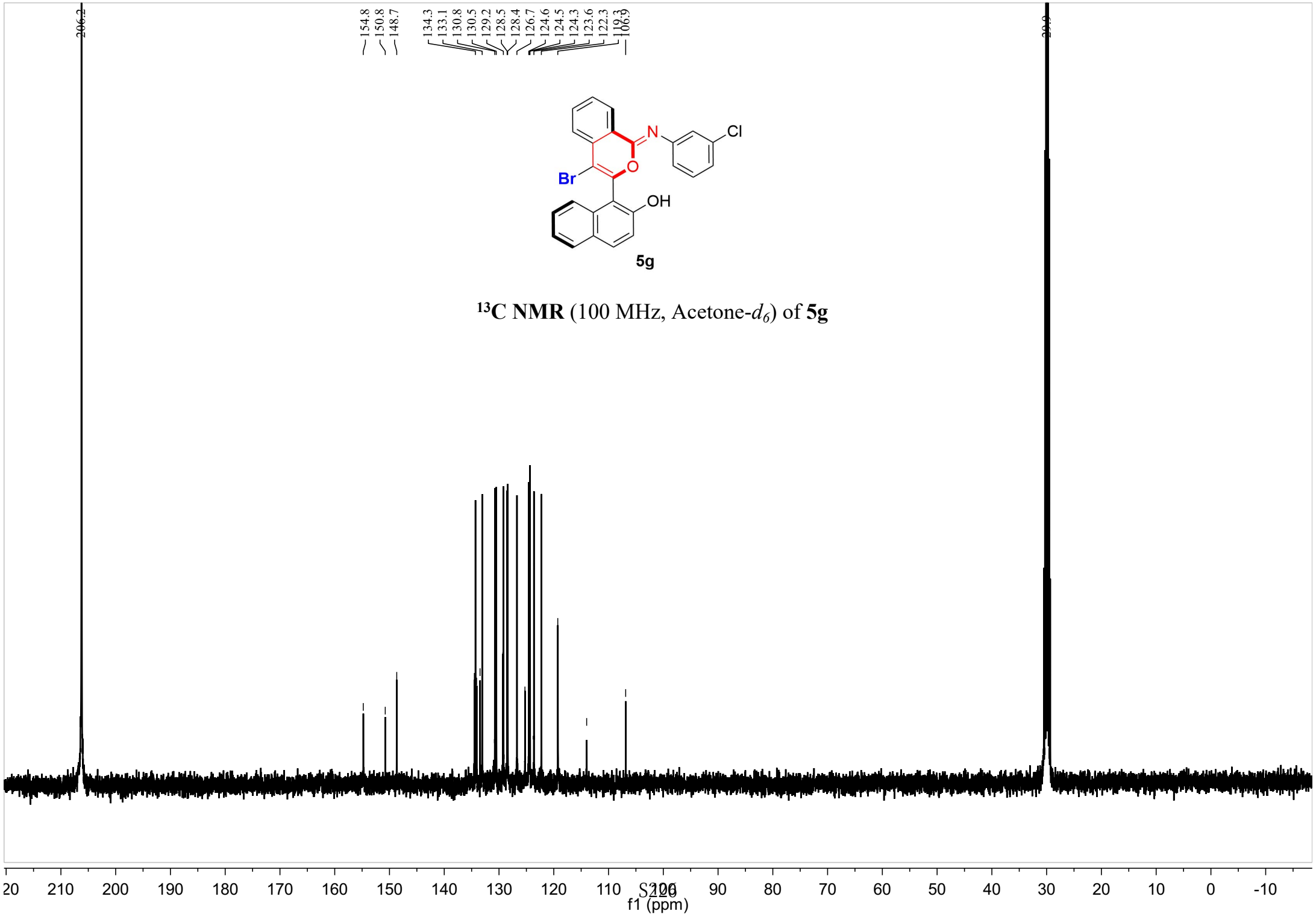
^{1}H NMR (400 MHz, Acetone-d_6) of **5f**



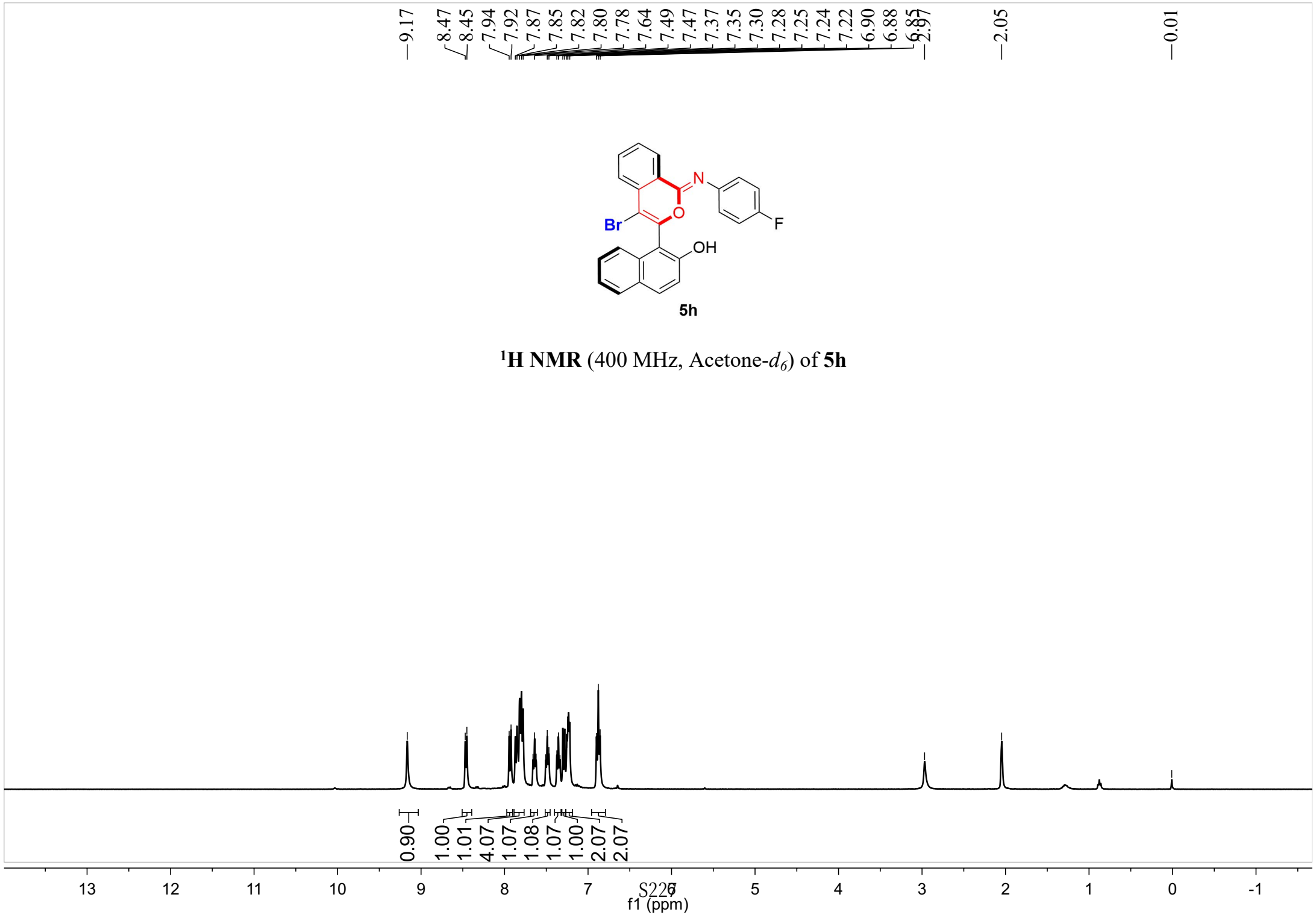
^{13}C NMR (125 MHz, Acetone-d_6) of **5f**



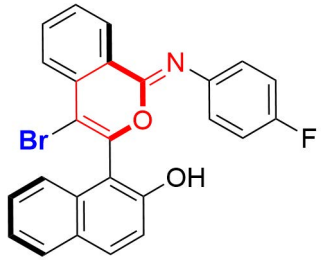
^{1}H NMR (400 MHz, Acetone-d_6) of **5g**



^{13}C NMR (100 MHz, Acetone-d_6) of **5g**

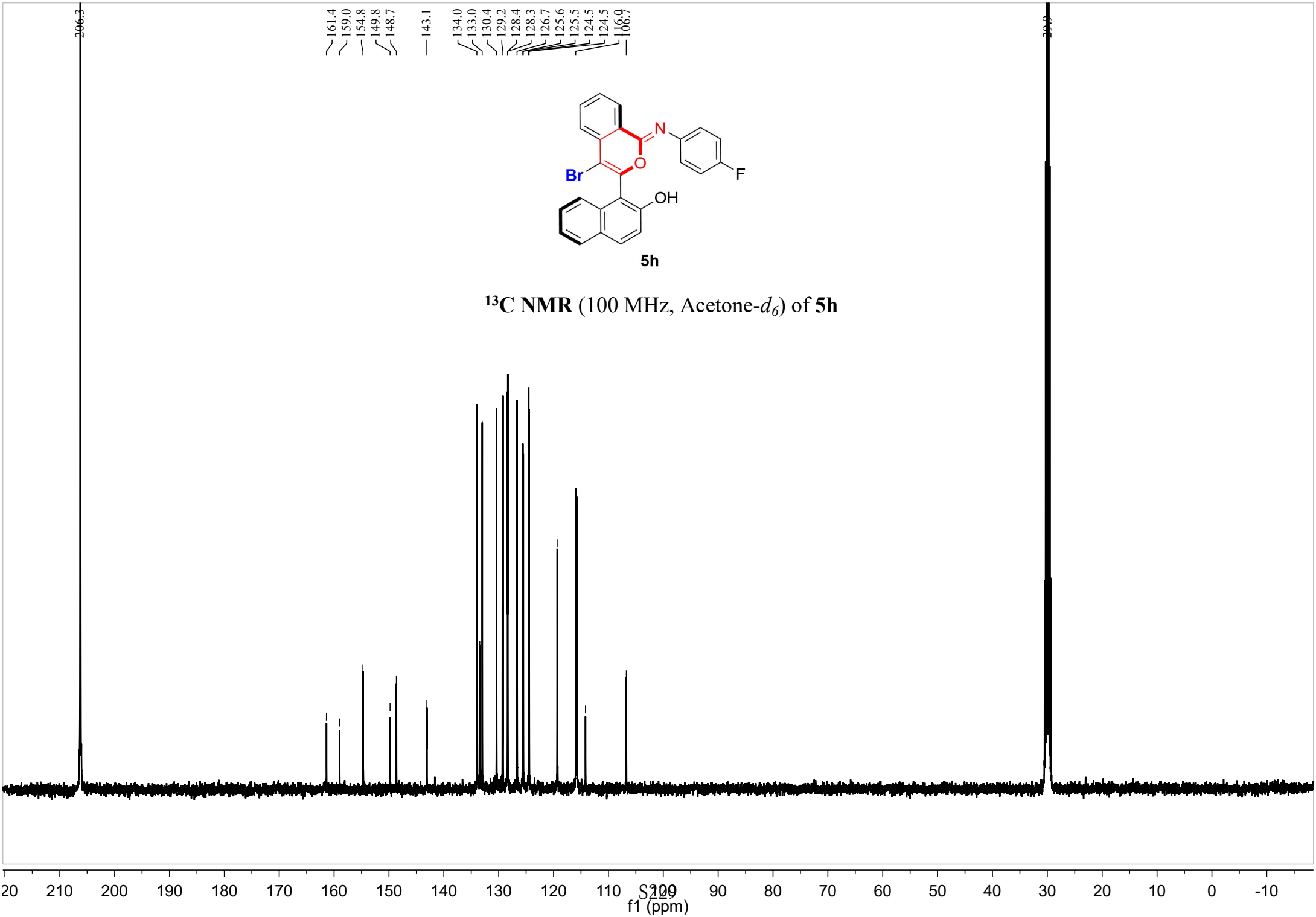


[1]H NMR (400 MHz, Acetone-d_6) of **5h**

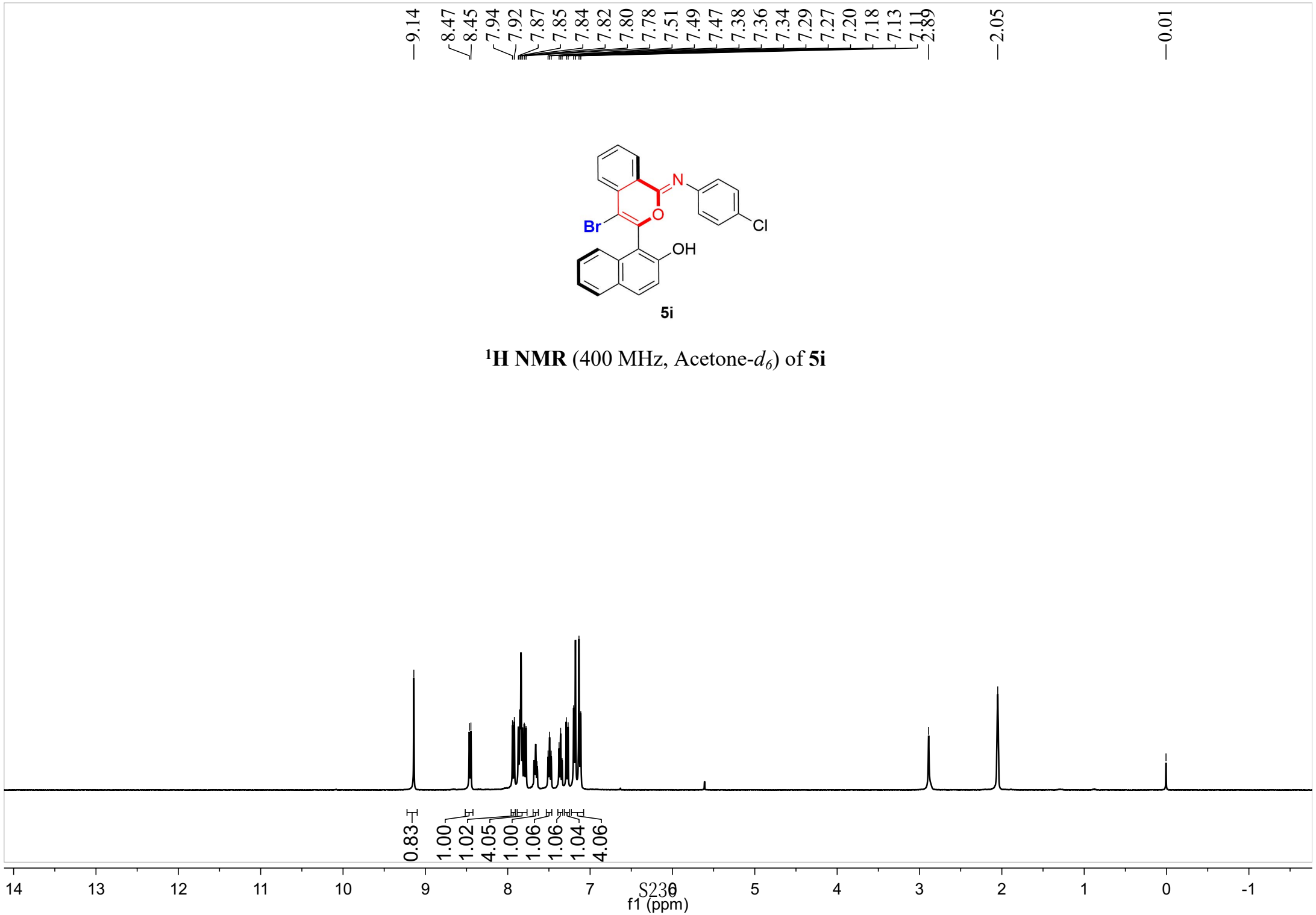


5h

^{19}F NMR (376 MHz, Acetone-d_6) of **5h**

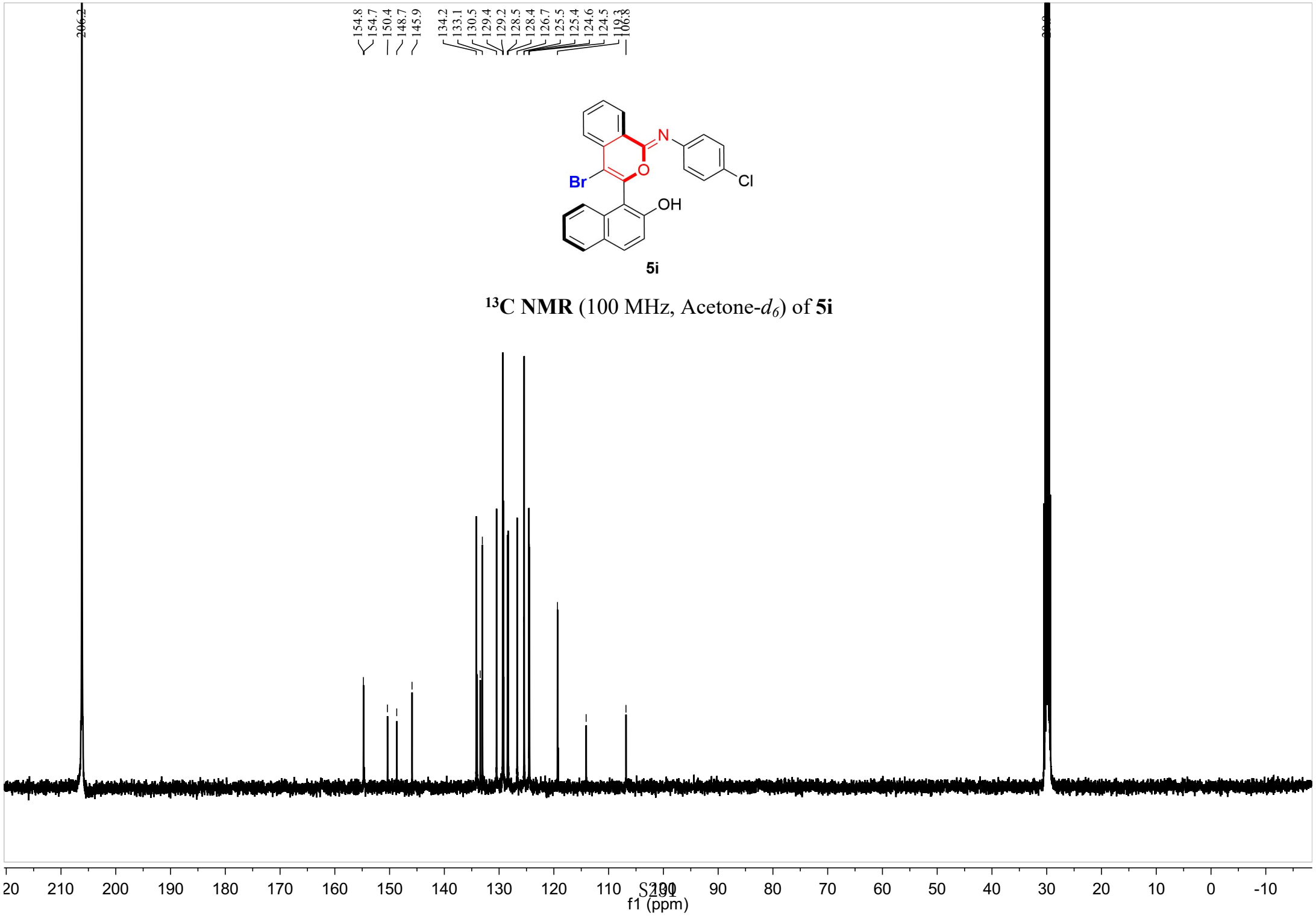


^{13}C NMR (100 MHz, Acetone-d_6) of **5h**



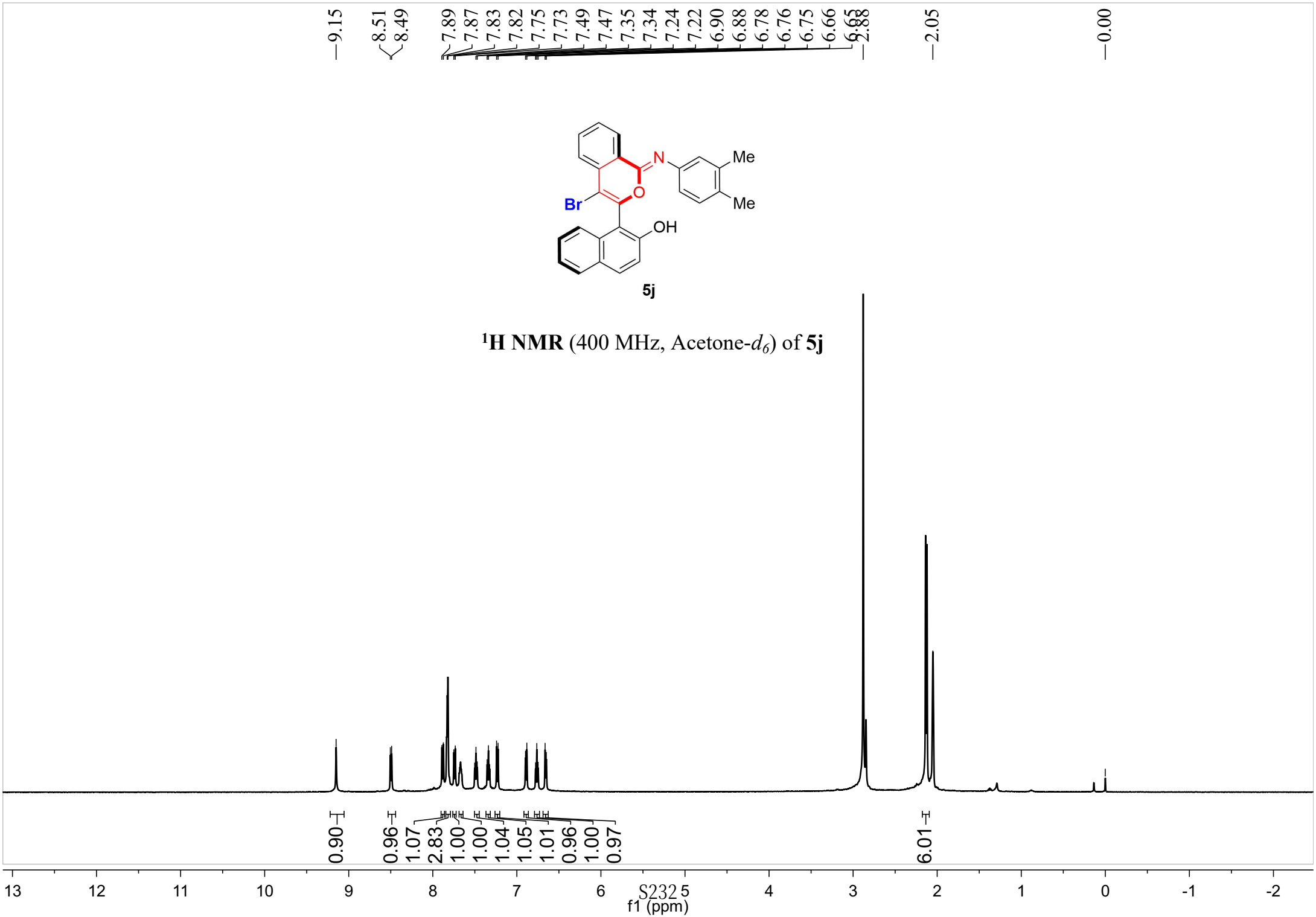
5i

^{1}H NMR (400 MHz, Acetone-d_6) of **5i**



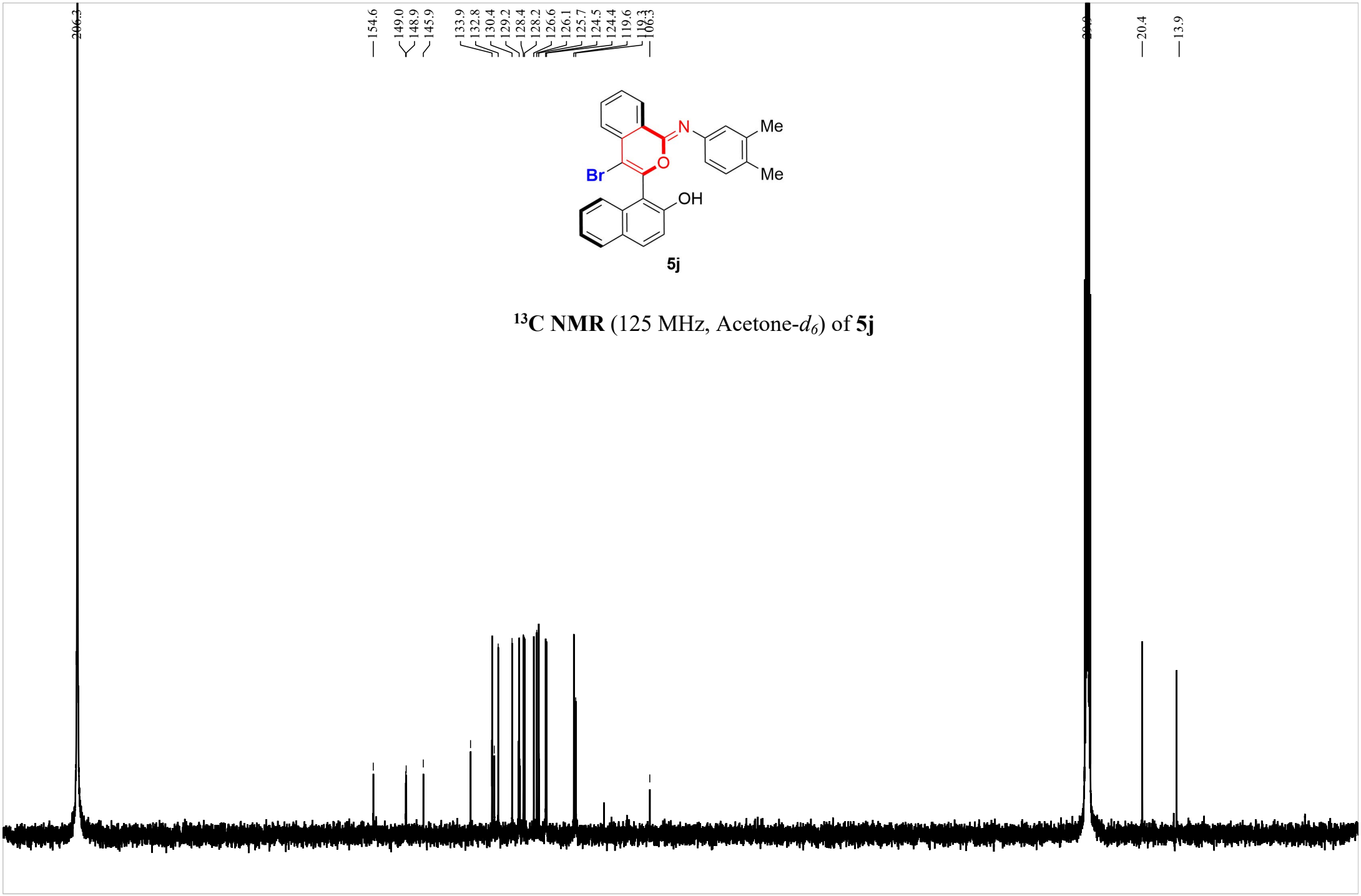
5i

^{13}C NMR (100 MHz, Acetone-d_6) of **5i**



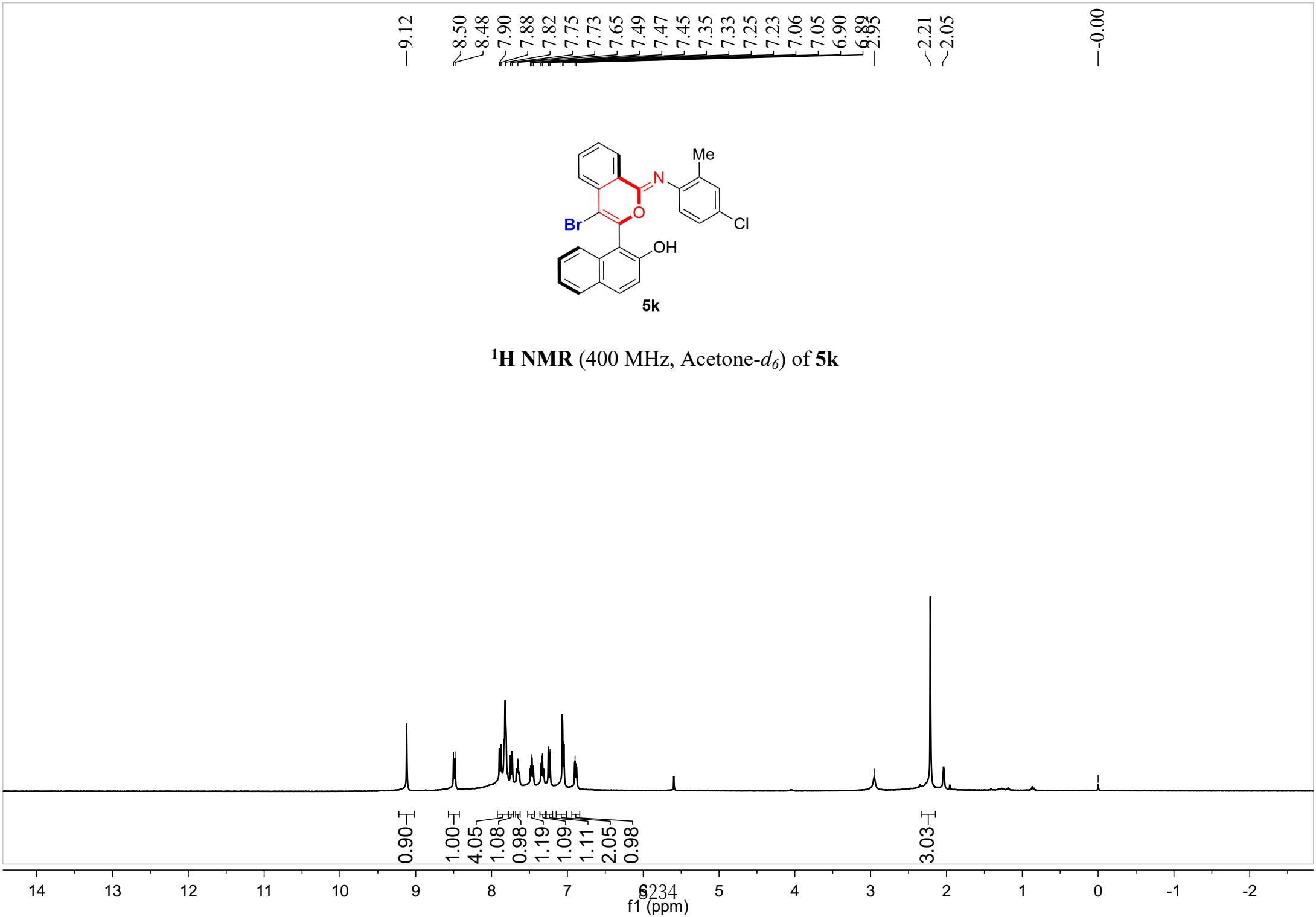
5j

^{1}H NMR (400 MHz, Acetone-d_6) of **5j**

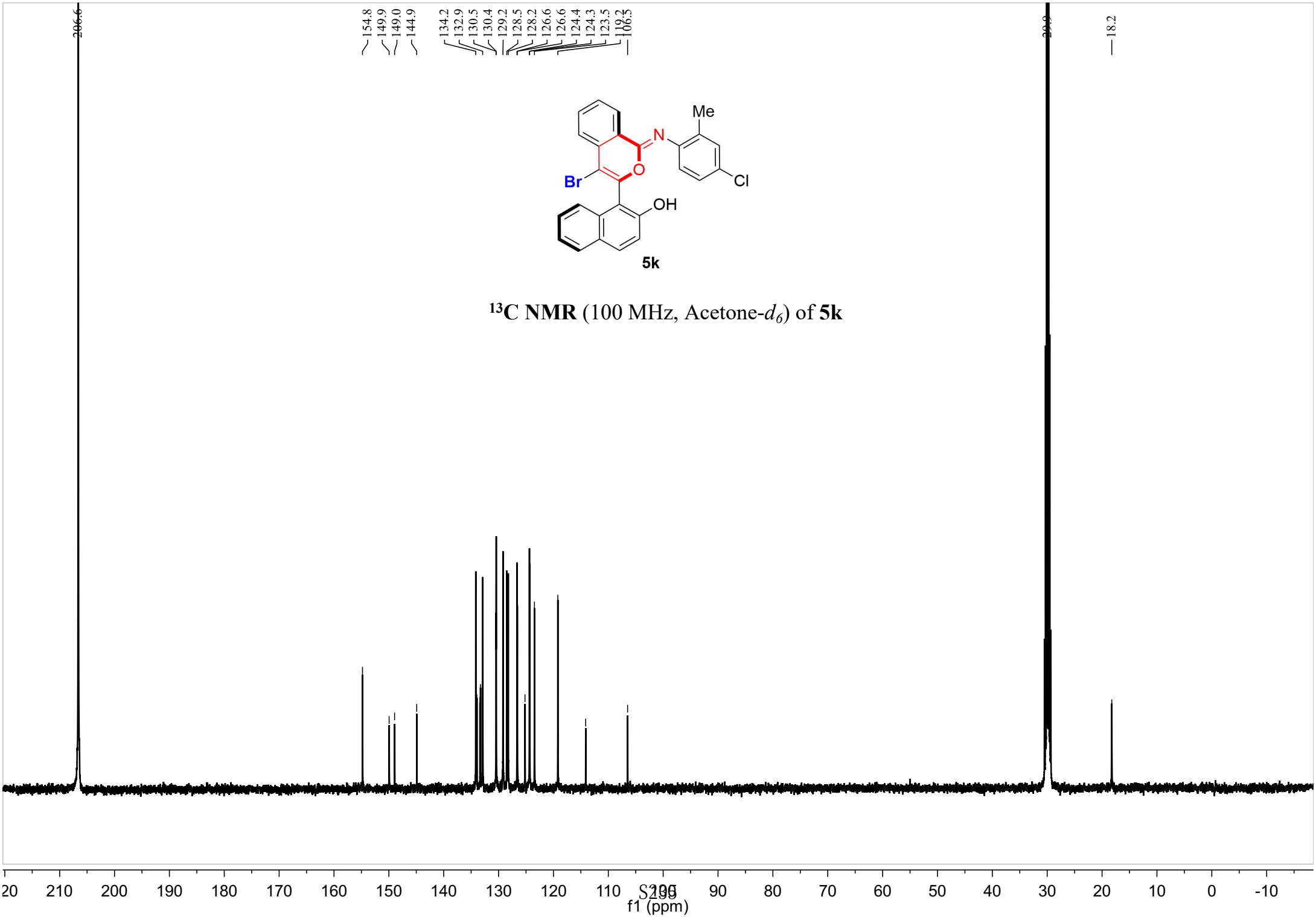


5j

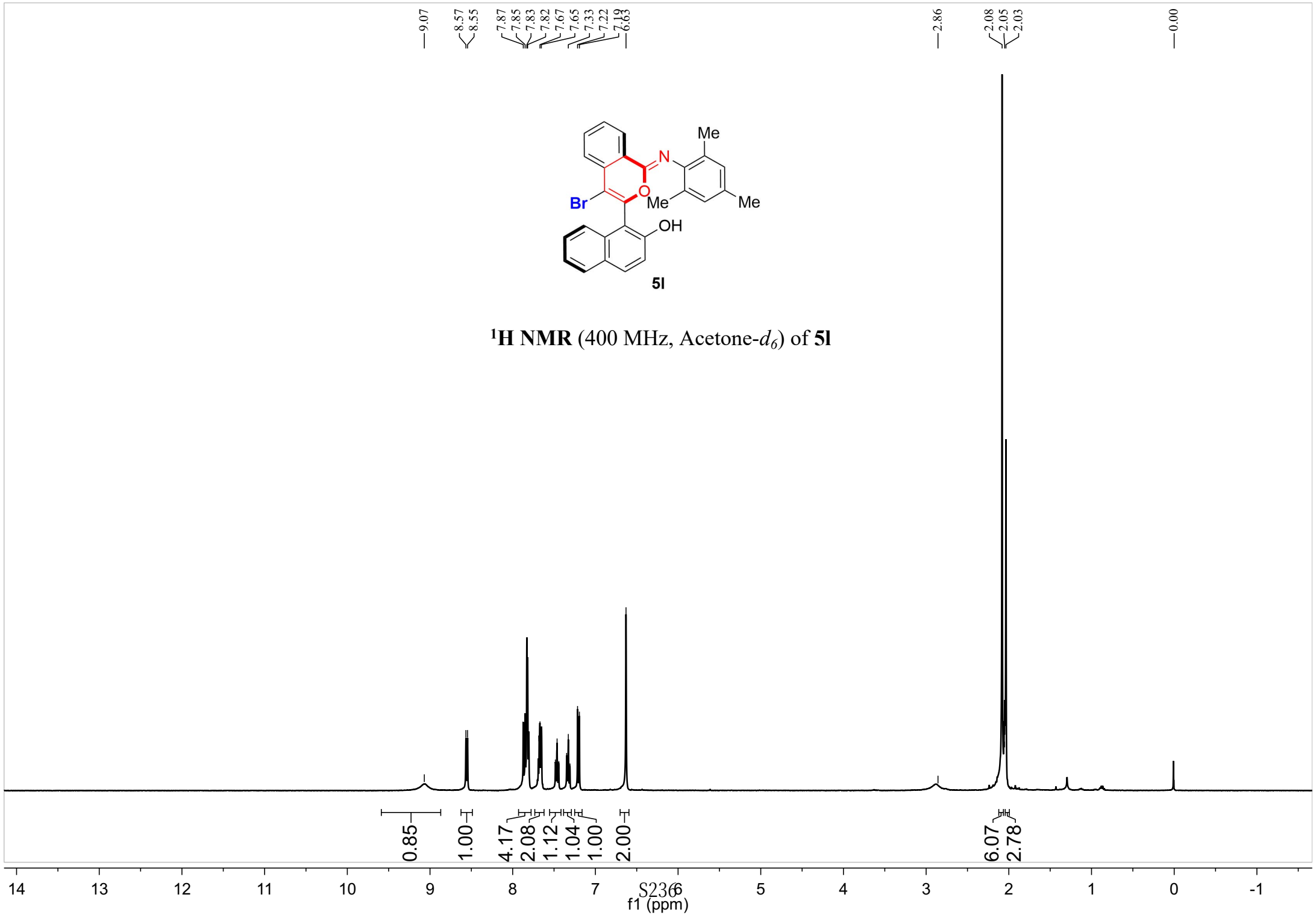
^{13}C NMR (125 MHz, Acetone-d_6) of **5j**



^{1}H NMR (400 MHz, Acetone-d_6) of **5k**

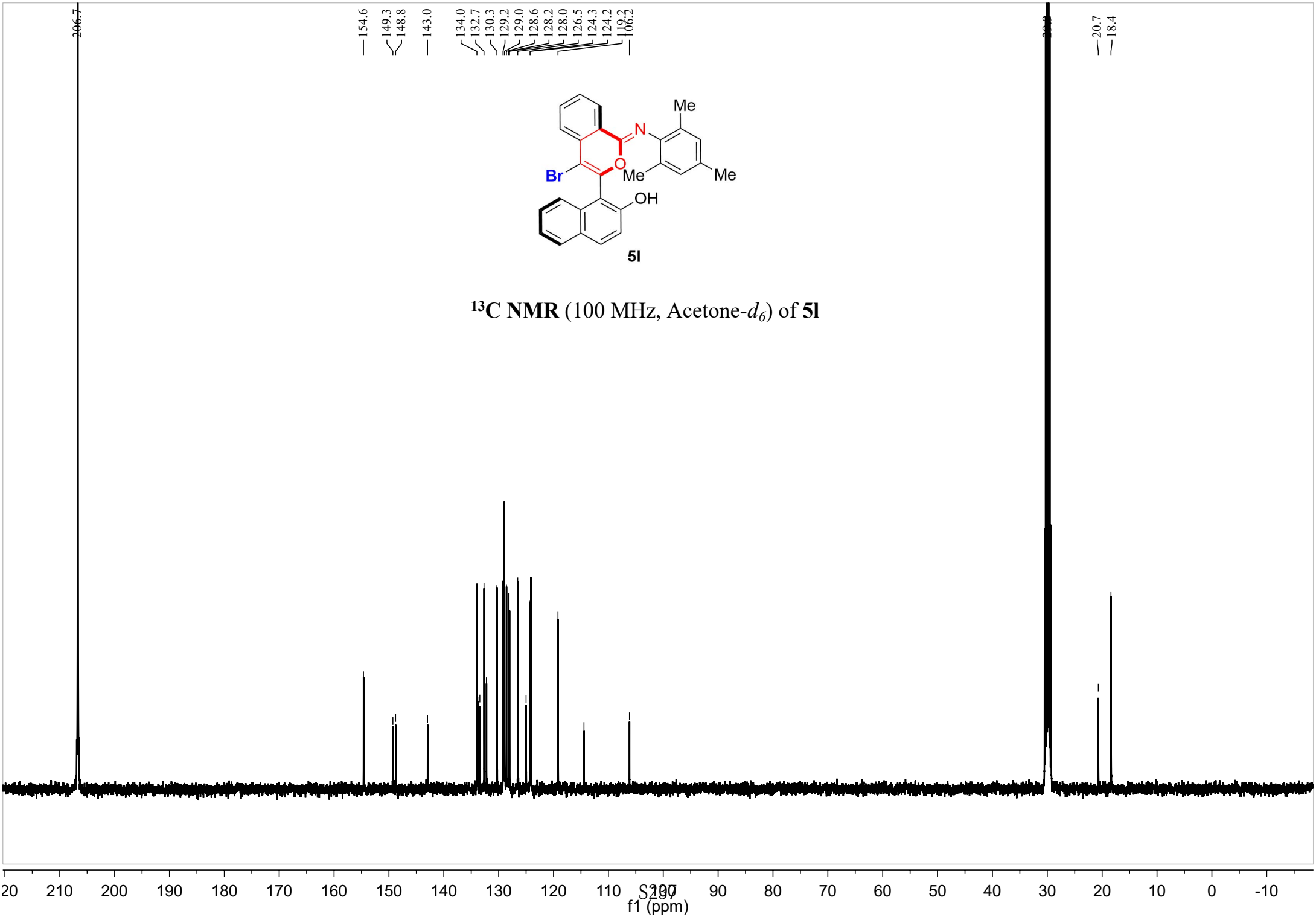


^{13}C NMR (100 MHz, Acetone-d_6) of **5k**

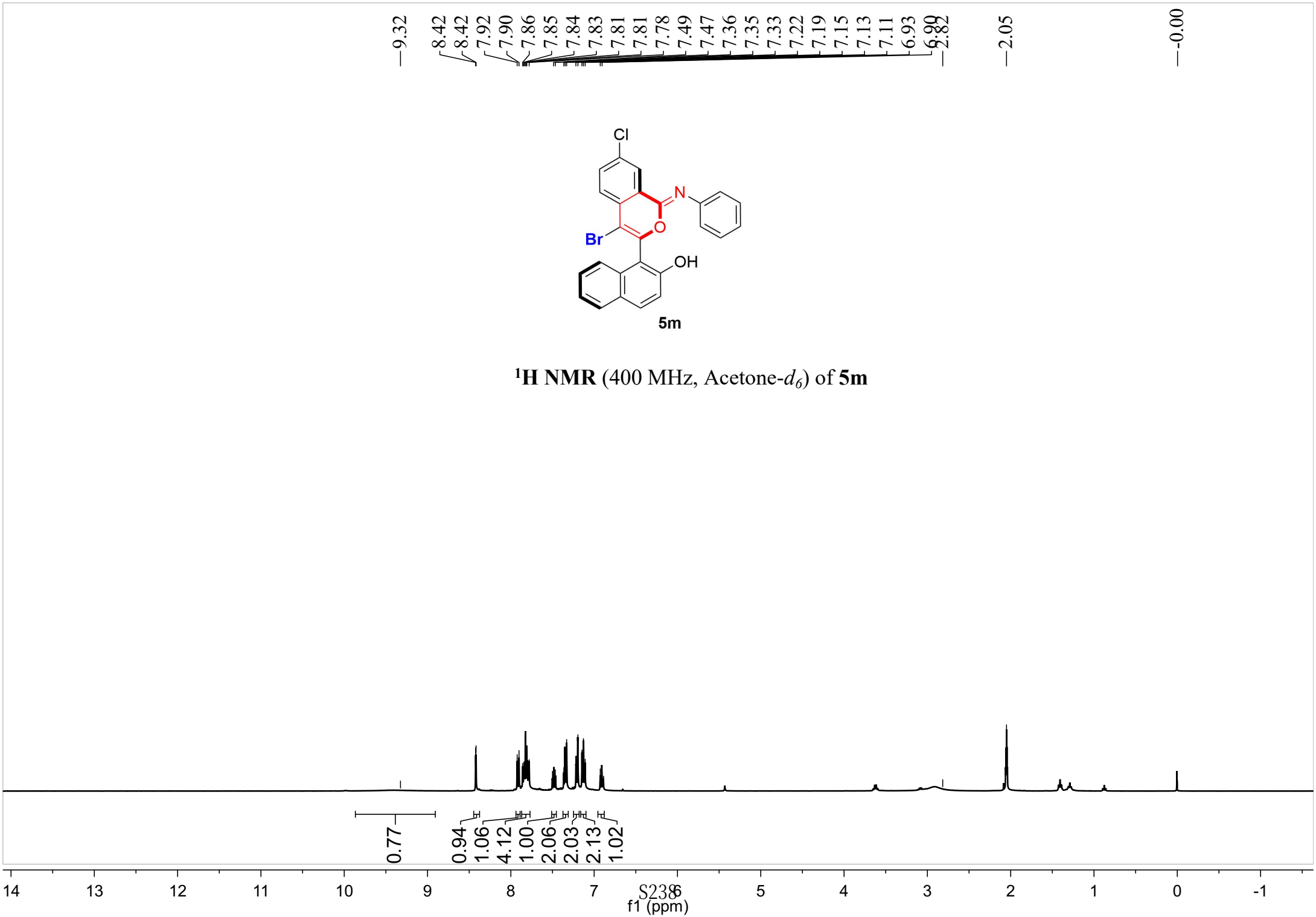


5l

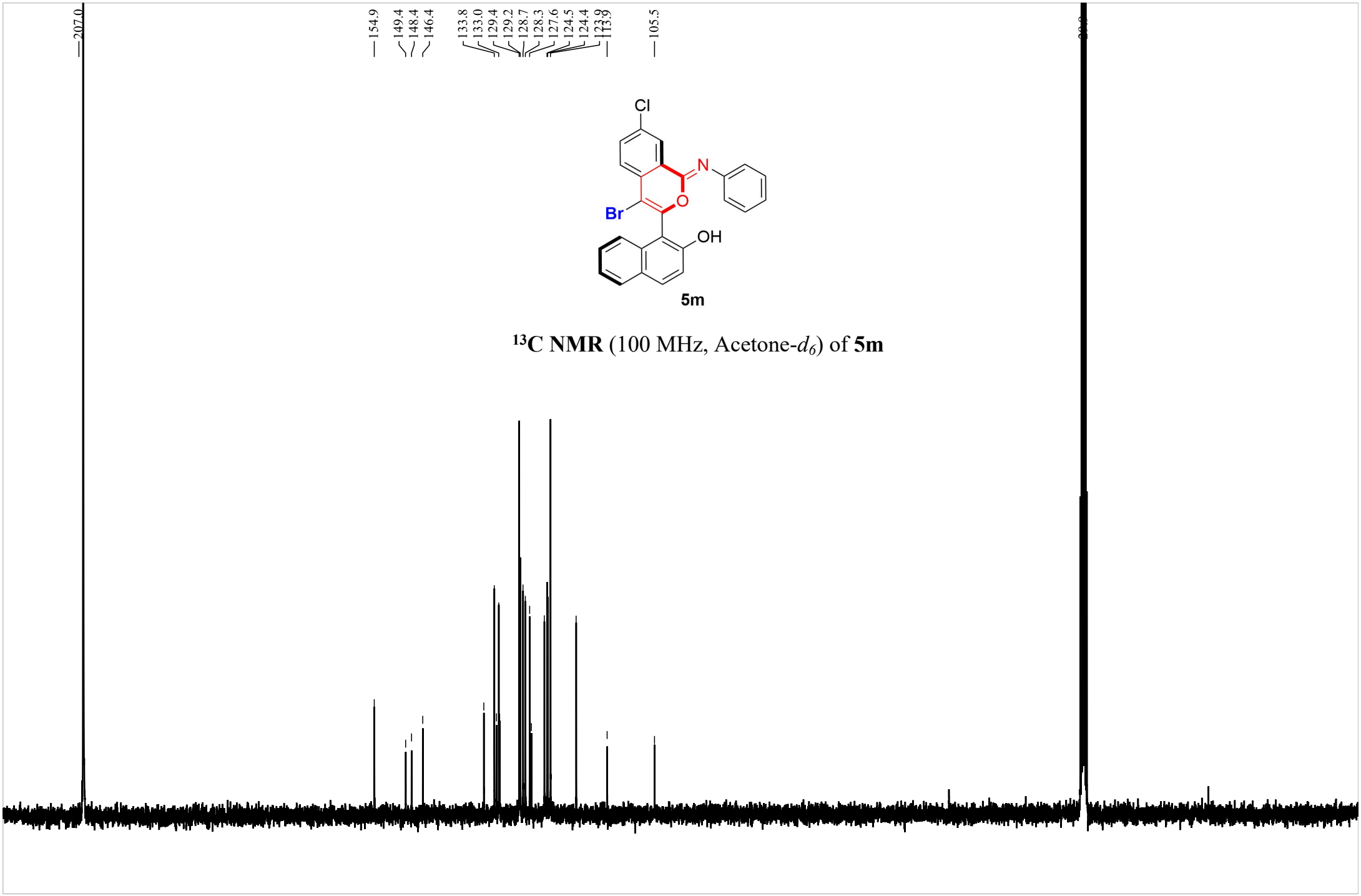
^{1}H NMR (400 MHz, Acetone-d_6) of **5l**



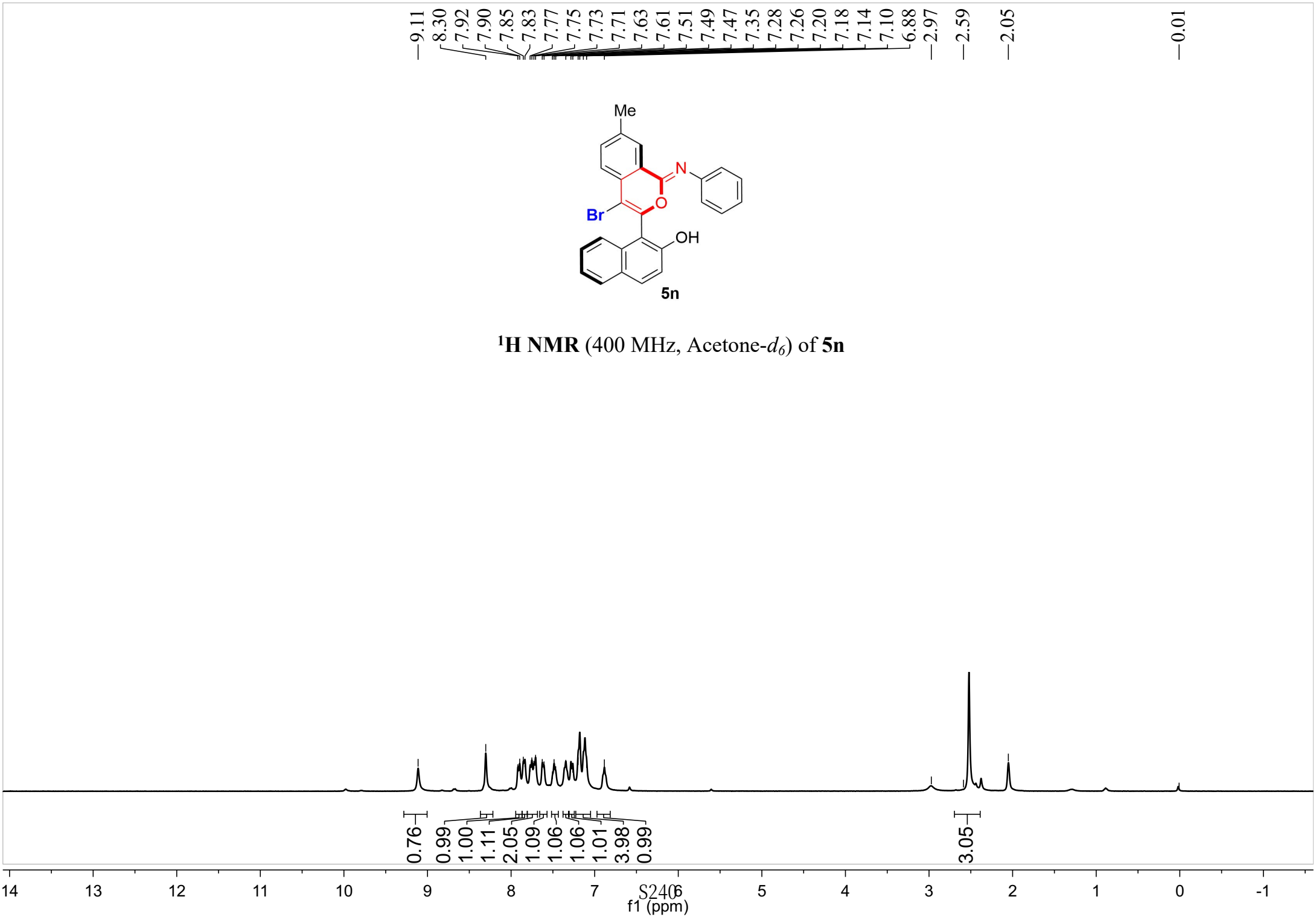
^{13}C NMR (100 MHz, Acetone-d_6) of **5l**



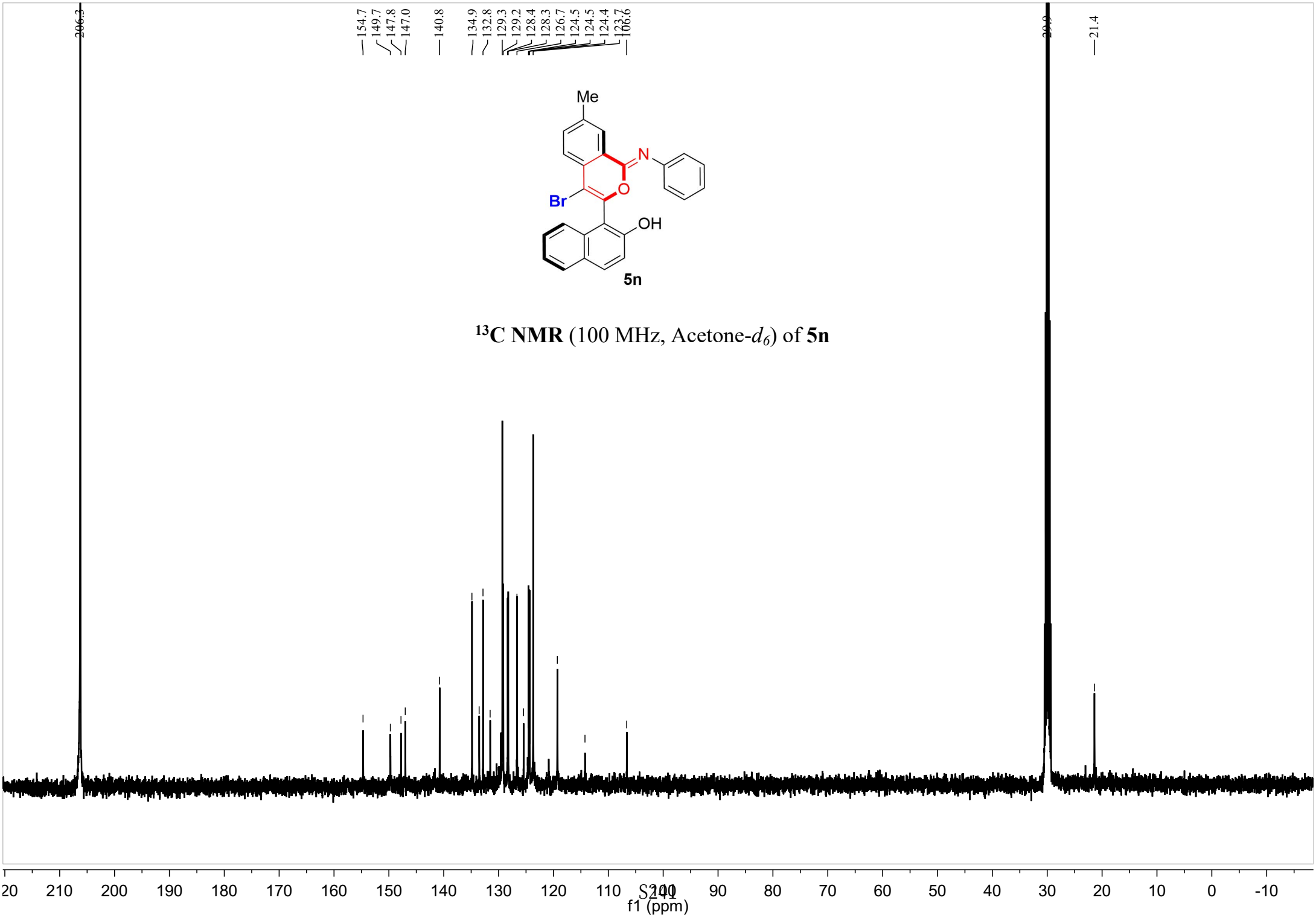
^{1}H NMR (400 MHz, Acetone-d_6) of **5m**



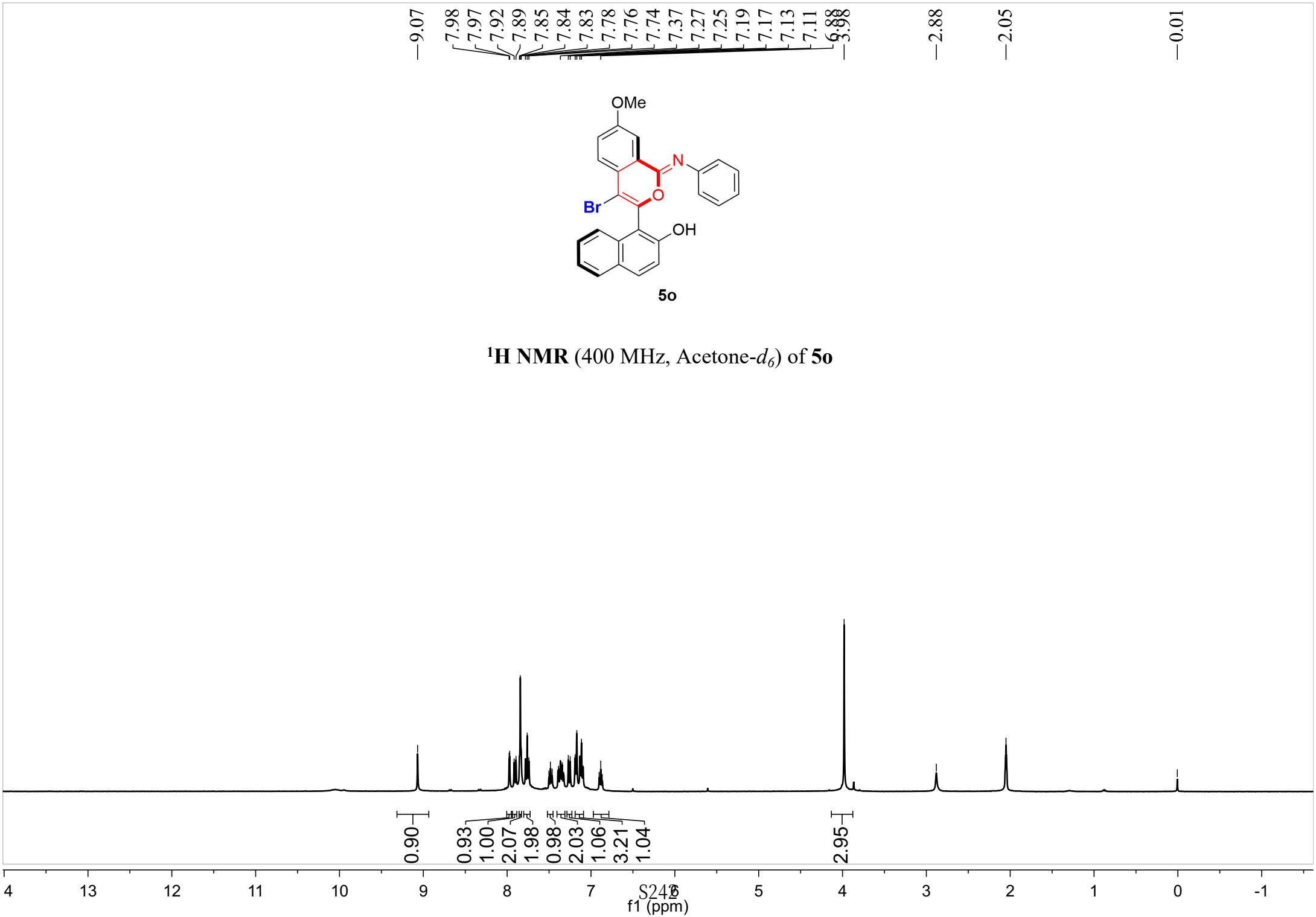
^{13}C NMR (100 MHz, Acetone-d_6) of **5m**



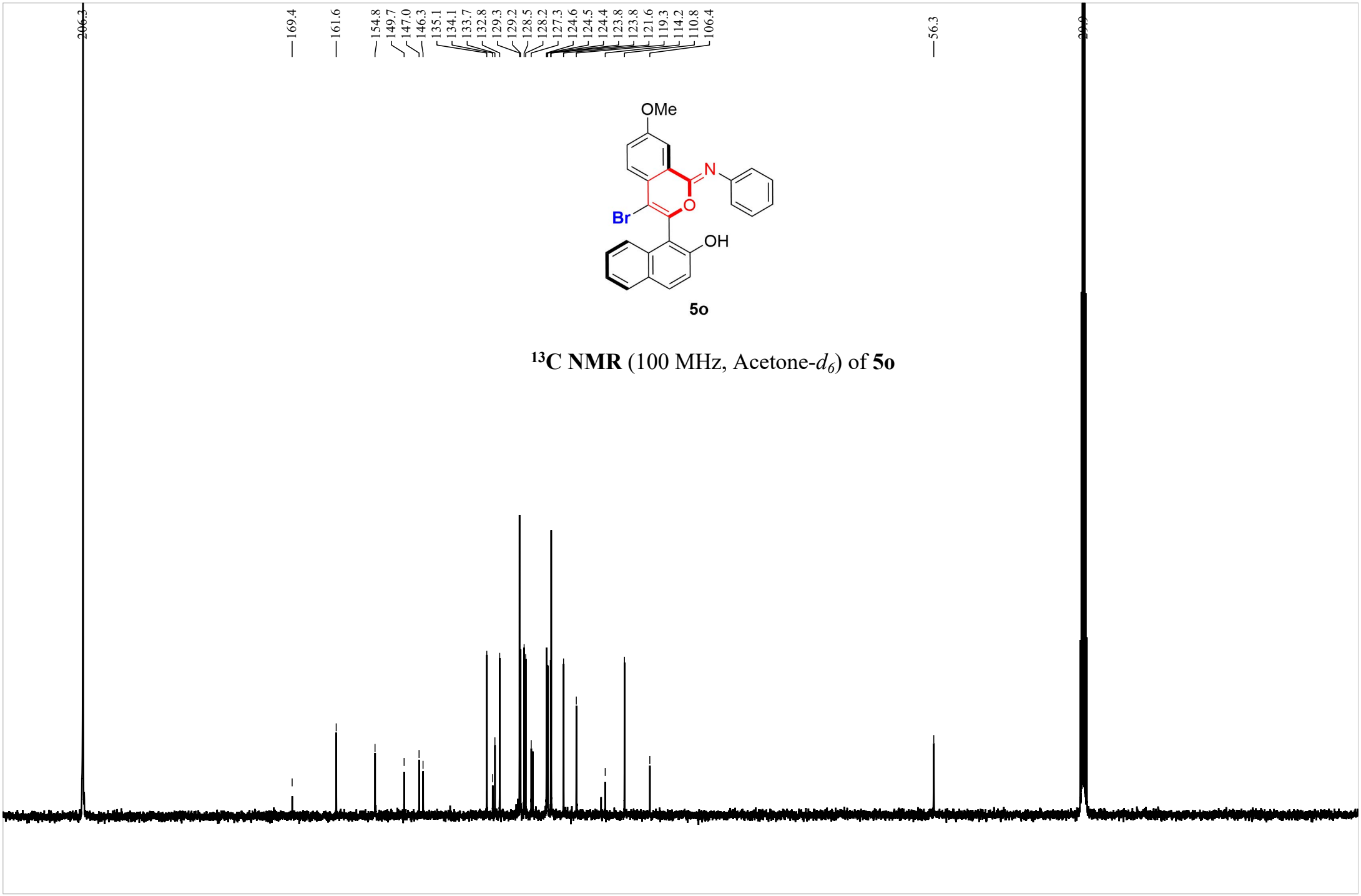
^{1}H NMR (400 MHz, Acetone-d_6) of **5n**



^{13}C NMR (100 MHz, Acetone-d_6) of **5n**

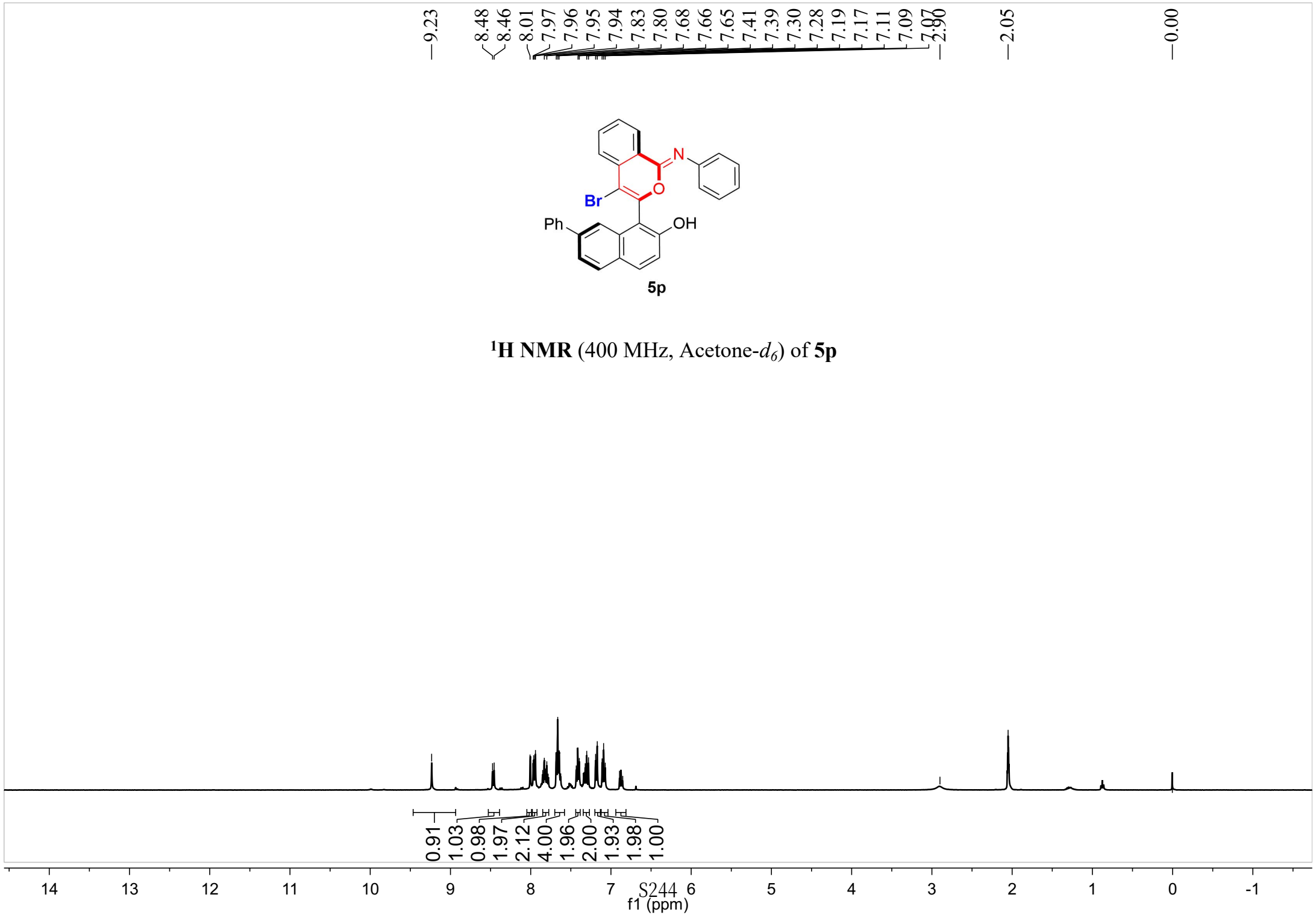


^{1}H NMR (400 MHz, Acetone-d_6) of **5o**

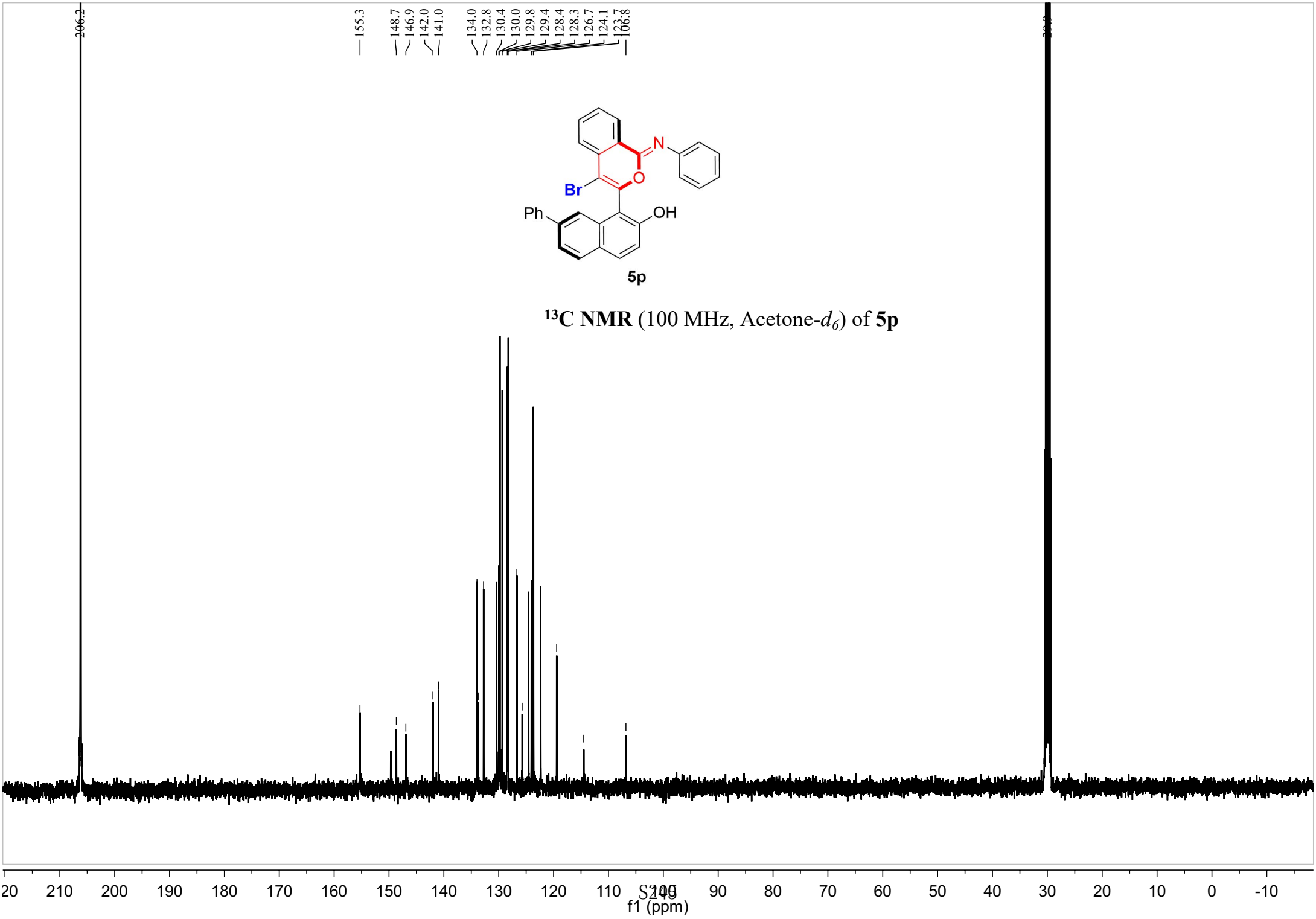


5o

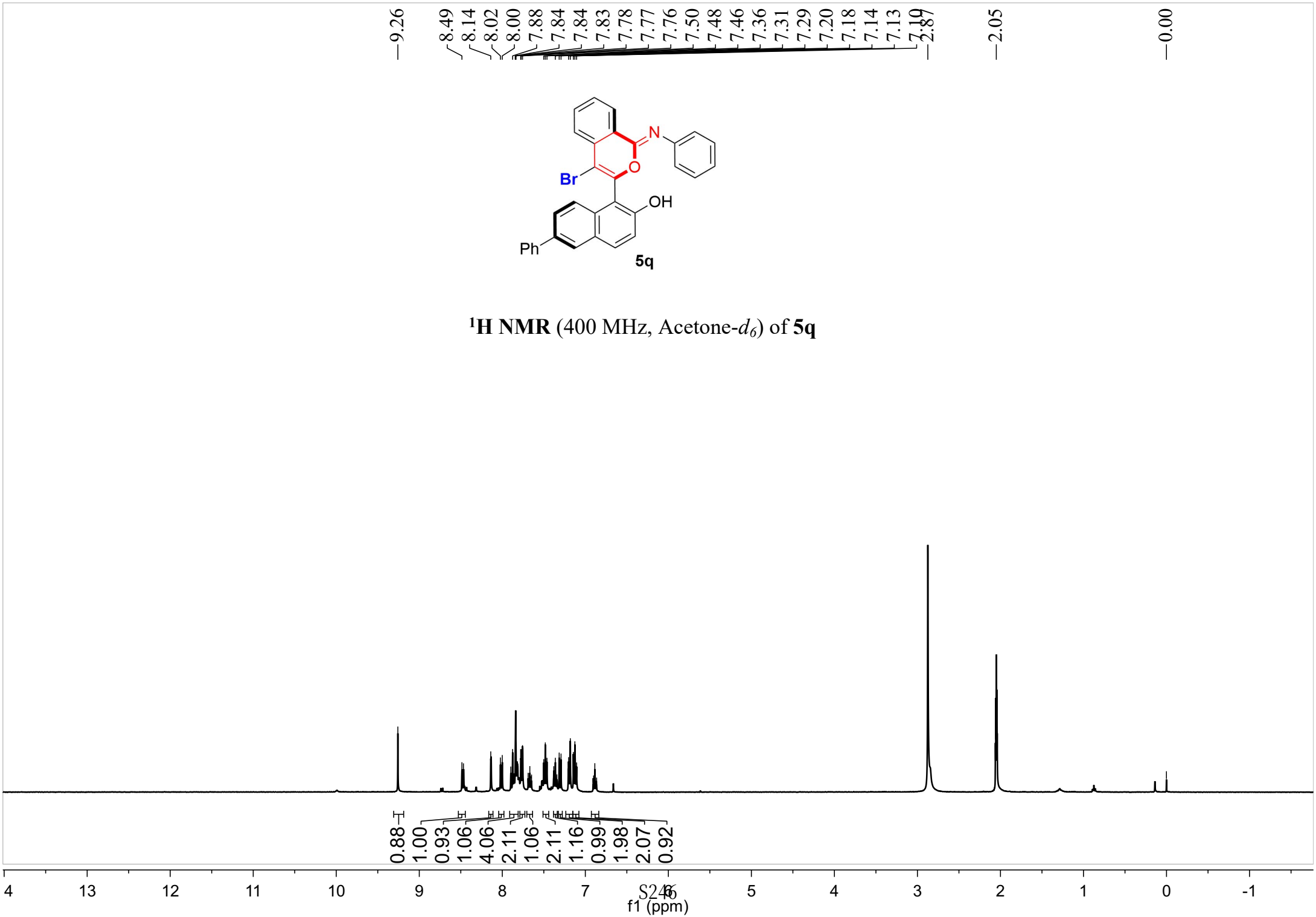
^{13}C NMR (100 MHz, Acetone-d_6) of **5o**



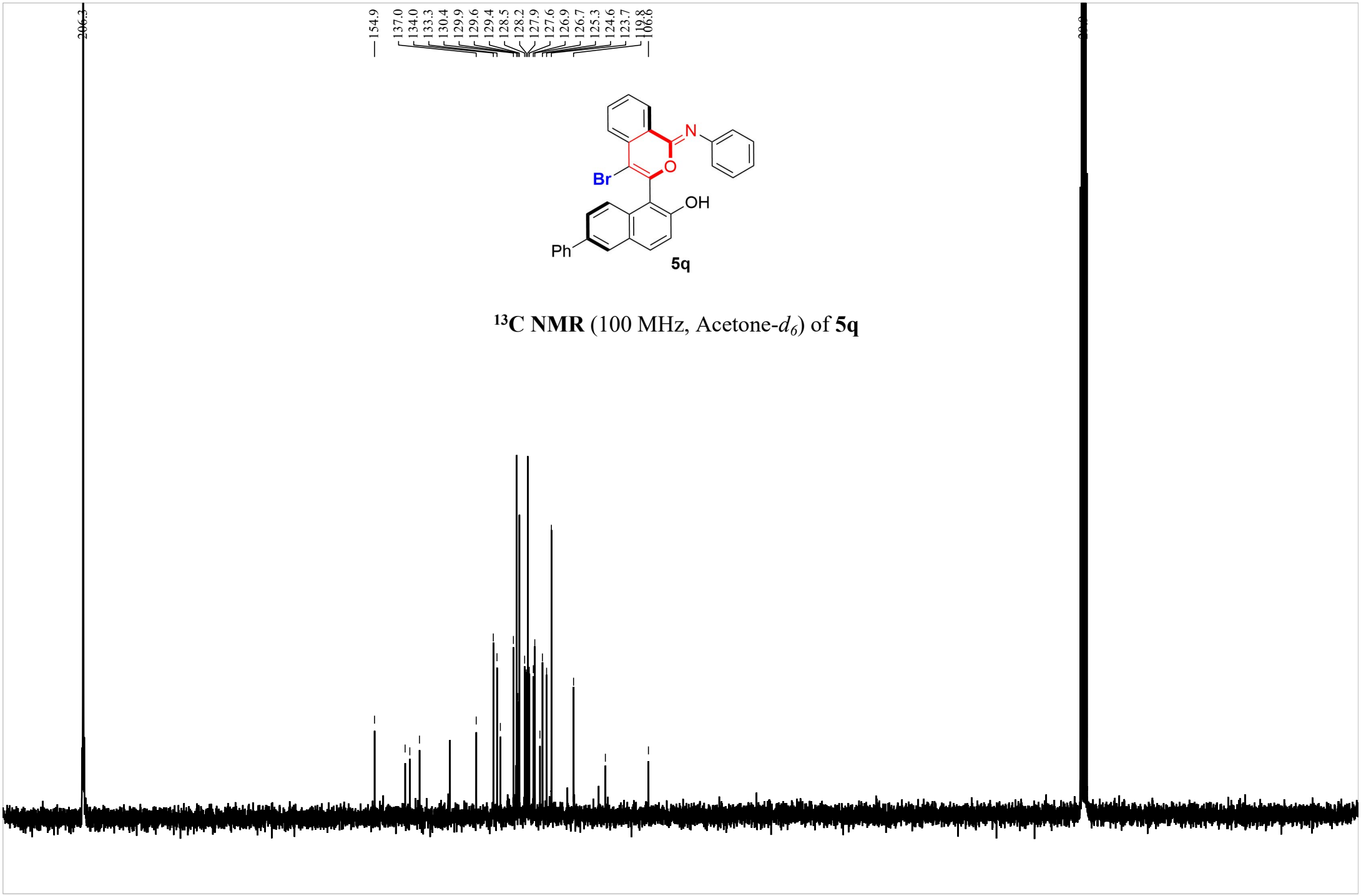
^{1}H NMR (400 MHz, Acetone-d_6) of **5p**



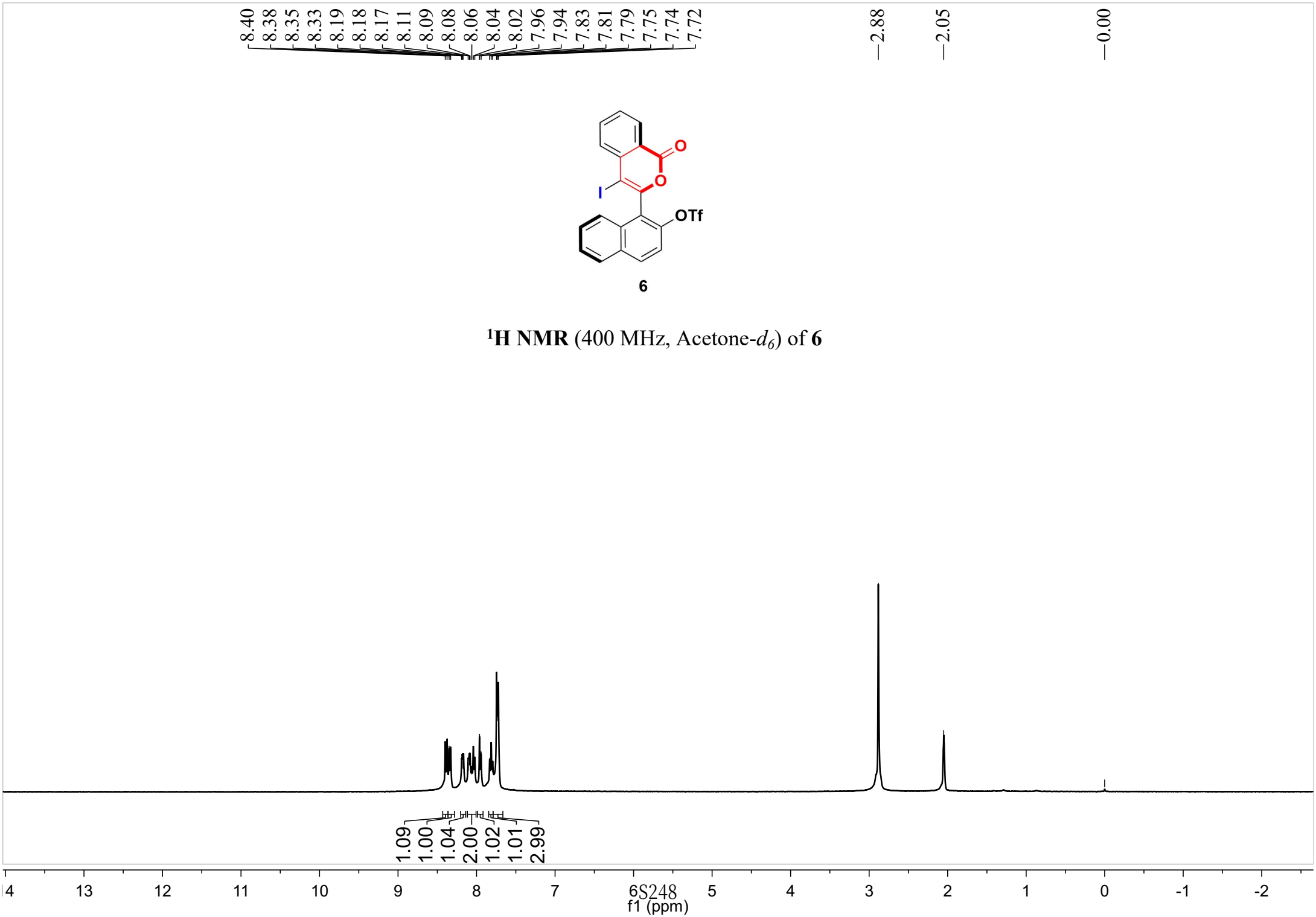
^{13}C NMR (100 MHz, Acetone-d_6) of **5p**



^{1}H NMR (400 MHz, Acetone-d_6) of **5q**

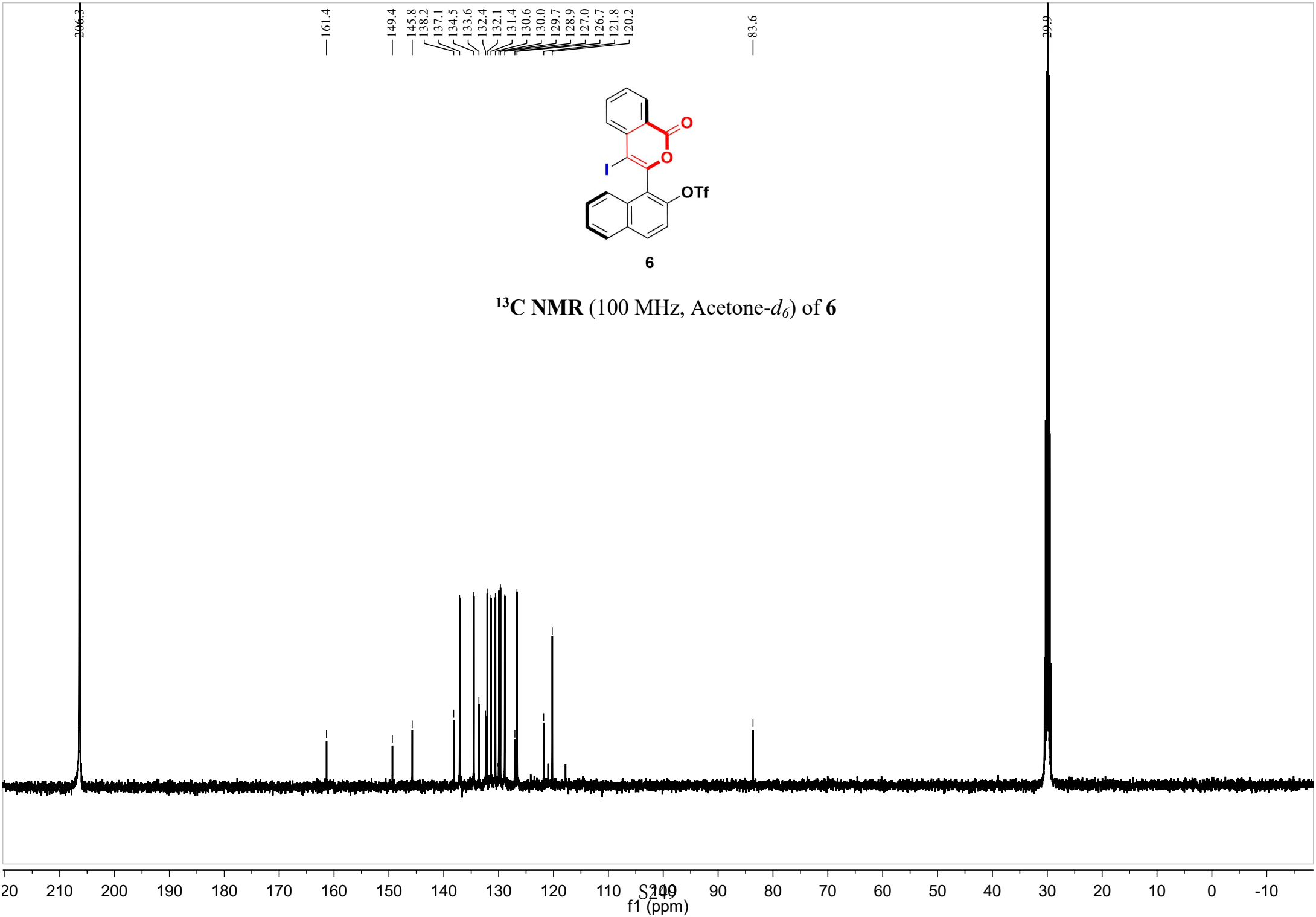


^{13}C NMR (100 MHz, Acetone-d_6) of **5q**

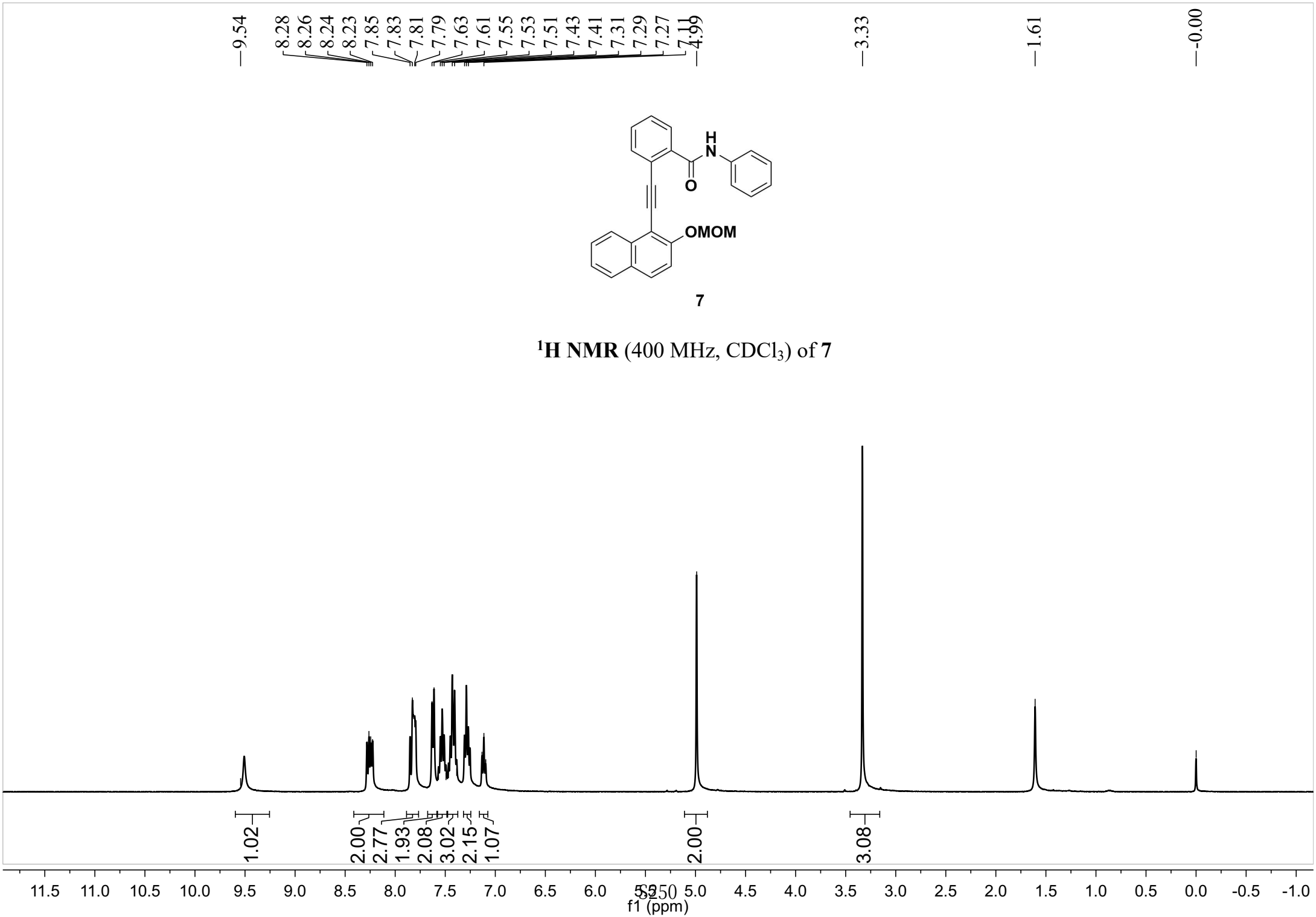


6

^{1}H NMR (400 MHz, Acetone-d_6) of **6**

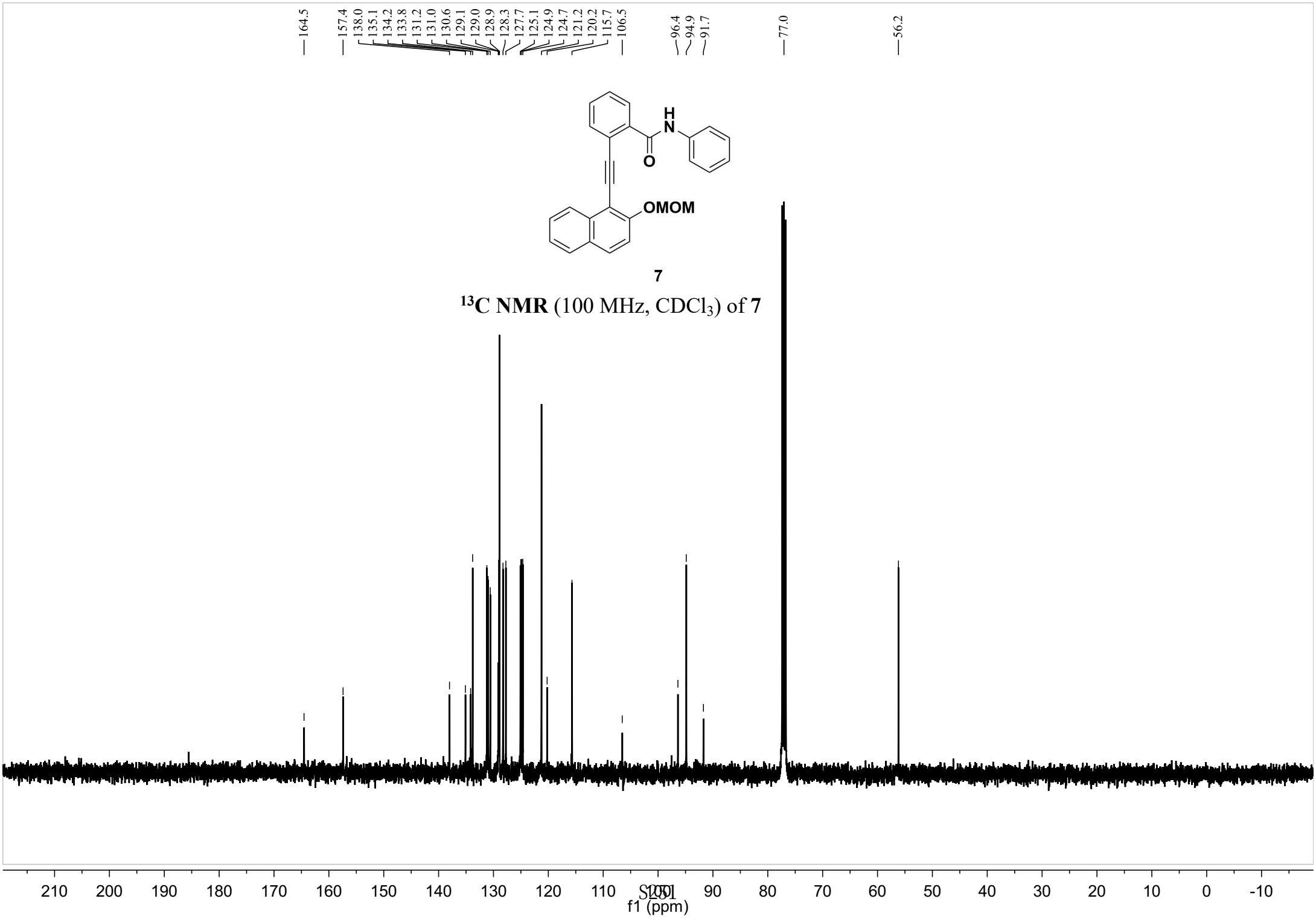


^{13}C NMR (100 MHz, Acetone-d_6) of **6**



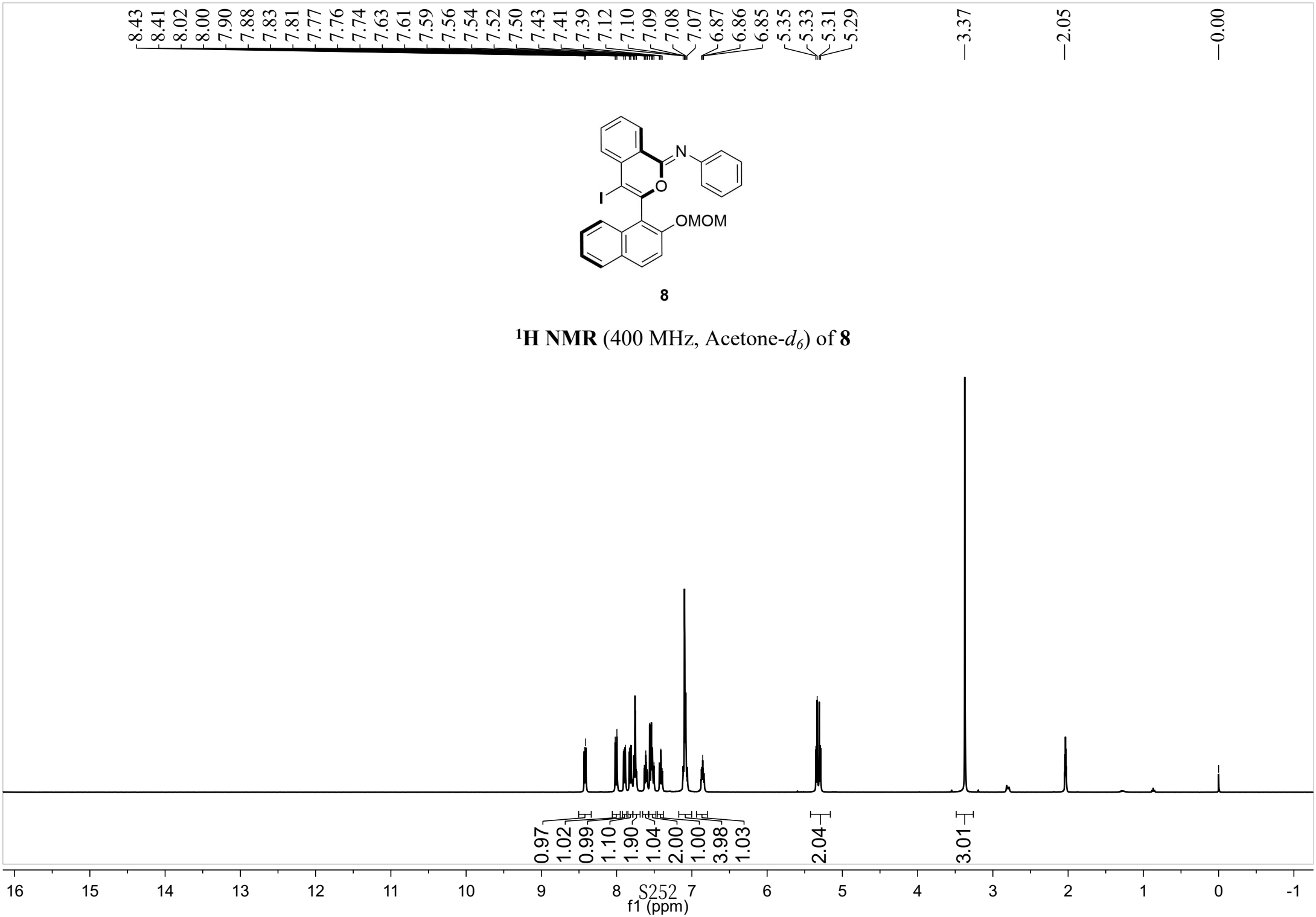
7

^{1}H NMR (400 MHz, $CDCl_3$) of **7**



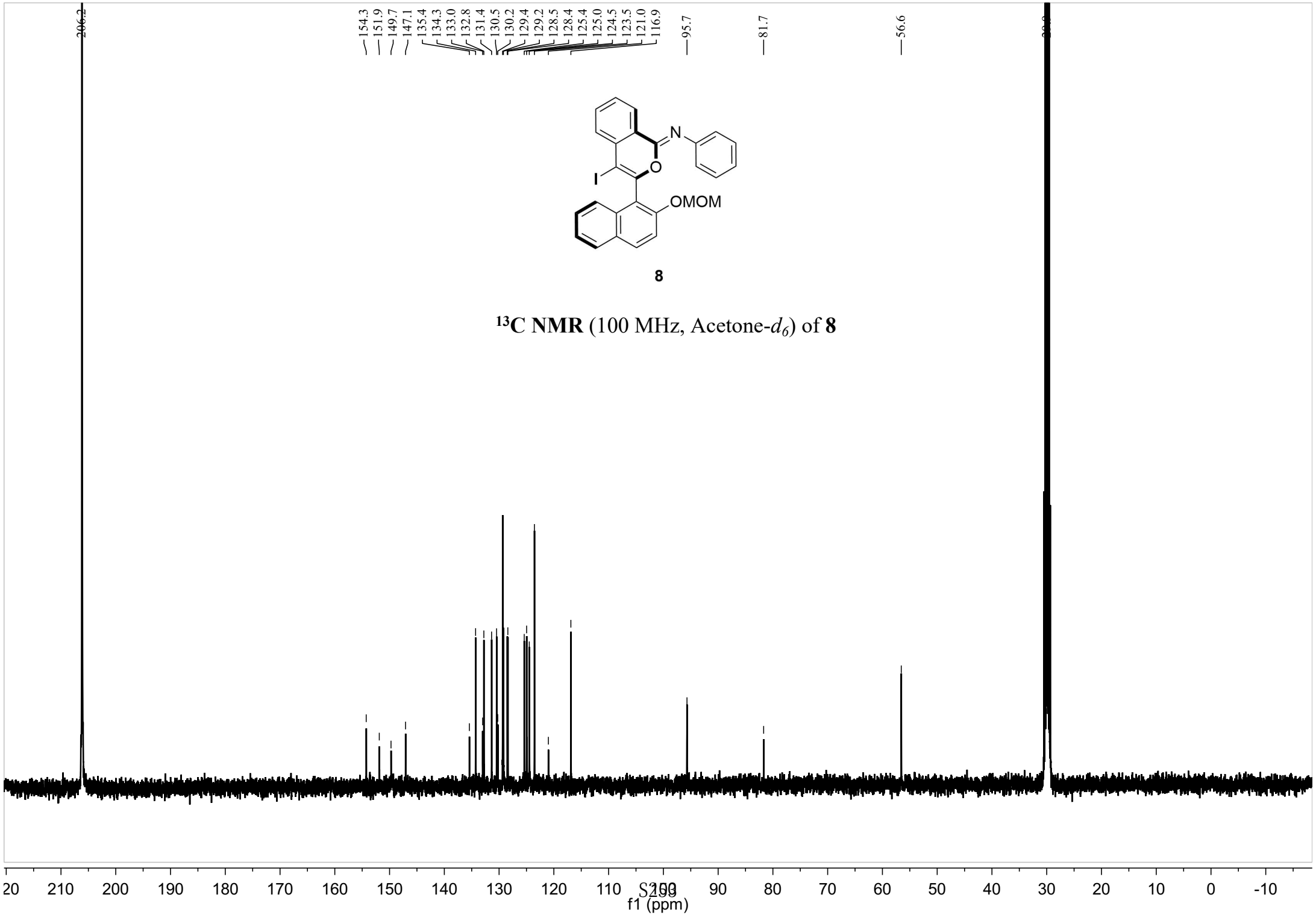
7

^{13}C NMR (100 MHz, $CDCl_3$) of **7**



8

^{1}H NMR (400 MHz, Acetone-d_6) of **8**



8

^{13}C NMR (100 MHz, Acetone-d_6) of **8**