Supporting Information

Diastereoselective Synthesis of Functionalized Spiroindolines via Intramolecular *ipso*-Iodocyclization/Nucleophile Addition Cascade Reactions of Indole-tethered Ynones

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1. General Methods:

Materials: All glassware was oven-dried (90 °C). Unless mentioned, chemicals & solvents were purchased in high purity grade from commercial suppliers and used without further purification.

Chromatography: Thin layer chromatography (TLC) was carried out on Merck silica plates (60F– 254), and components were visualized by observation under UV light or by treating the plates with p-anisaldehyde followed by heating. Silica gel chromatography was performed using silica gel (60–120 or 100-200 mesh).

Characterization: NMR spectra for the characterization of compounds were recorded on Bruker Avance DPX FT-NMR 400 MHz instrument (^{1}H) at 400 MHz and (^{13}C) at 100 MHz respectively. ^{19}F NMR was recorded at 376 MHz. Chemical shifts (δ) are reported in ppm, using the residual solvent peak in DMSO-d_6 (δ_H = 2.50 and δ_C = 39.52 ppm) as internal reference and coupling constants (*J*) are given in hertz (Hz). The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, dd = doublet of doublet, ddd = doublet of doublets of doublets, t = triplet, q = quartet, m = multiplet. High-Resolution Mass Spectra (HRMS) were recorded using a Waters XEVO-G2-XS-Q-TOF mass spectrometer.

Experimental details. Unless mentioned, reactions were performed in an open atmosphere at room temperature (25-30 °C) in a 5 mL glass vial.

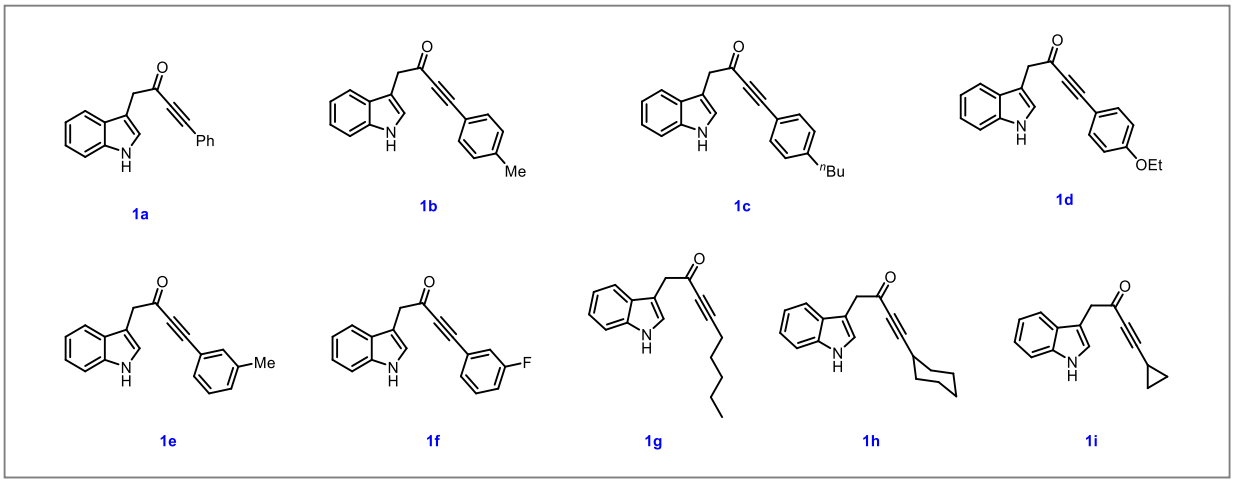


Figure S1: Indole-tethered ynones utilized in this study

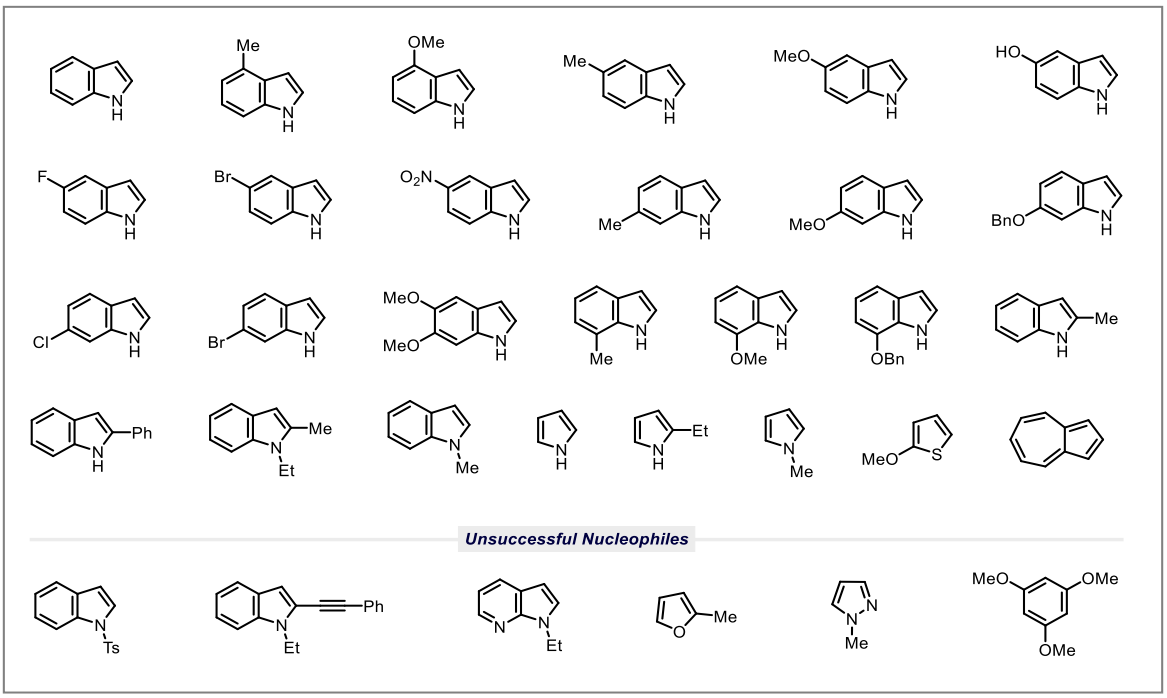


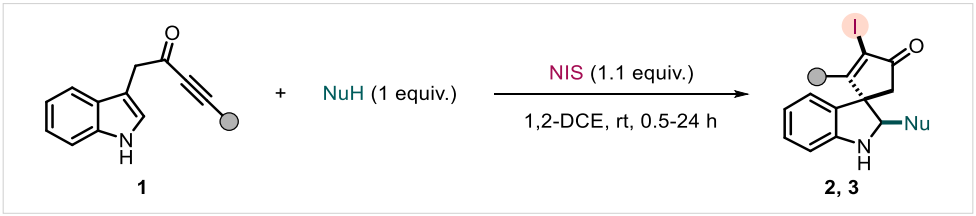
Figure S2: (Hetero)arene nucleophiles utilized in this study

2. Synthesis of Starting Materials:

Indole-tethered ynones utilized in this study were synthesized in two steps according to the previously reported literature procedures.[1]

3. General Experimental Procedure:

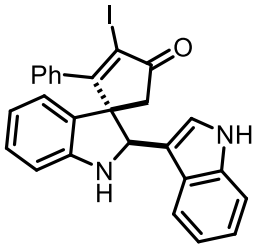
Procedure for the Synthesis of Spiroindolines from Indole-tethered Ynones (GP1):



To the solution of indole-tethered ynone **1** (1.0 equiv.) and nucleophile (indole/pyrrole/thiophene/azulene) (1.0 equiv.) in 1,2-DCE (0.25 M) was added NIS (1.1 equiv.) The resulting mixture was stirred at room temperature. Progress of the reaction was monitored periodically by TLC. Upon completion, aqueous $Na_2S_2O_3$ solution was added to the reaction mixture. The organic layer was separated and washed with brine. The combined organic layers were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Silica gel column purification by using ethyl acetate and petroleum ether mixture as eluent afforded the desired products.

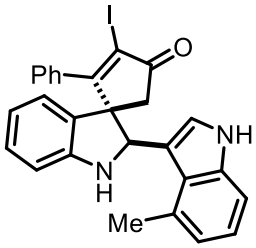
4. Characterization Data of Synthesized Compounds:

2'-(1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2a):



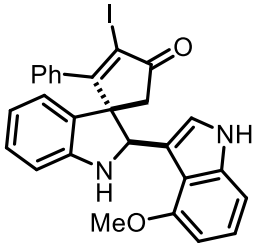
Reaction of ynone **1a** (75 mg, 0.289 mmol), indole (33.9 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2a** as pale yellow solid (131 mg, 90% yield); mp 112-114 °C; R_f : 0.46 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.2 (s, 1H), 7.48-7.42 (m, 3H), 7.40-7.35 (m, 1H), 7.28-7.19 (m, 4H), 7.14-7.04 (m, 3H), 6.92-6.86 (m, 1H), 6.73-6.68 (m, 1H), 6.58-6.54 (m, 1H), 6.15 (s, 1H), 5.21 (s, 1H), 2.74 (d, J = 18.79 Hz, 1H), 2.47 (d, J = 18.78 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.9, 179.1, 151.4, 136.8, 135.9, 130.2, 129.4, 129.0, 128.3, 128.1, 125.9, 124.6, 123.4, 121.4, 119.7, 118.9, 117.8, 113.1, 111.8, 108.7, 105.1, 63.9, 63.0, 45.0 ppm; HRMS (ESI): calcd. for $C_{26}H_{20}N_2OI$ $[M+H]^+$: 503.0620, found 503.0623.

2'-(4-methyl-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2b):



Reaction of ynone **1a** (75 mg, 0.289 mmol), 4-methylindole (38 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2b** as yellow solid (133 mg, 89% yield); mp 167-169 °C; R_f : 0.54 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.10 (d, J = 2.33 Hz, 1H), 7.40-7.32 (m, 3H), 7.25-7.21 (m, 1H), 7.10 (ddd, J = 15.22, 7.72, 1.21 Hz, 1H), 7.06-7.02 (m, 1H), 7.01-6.96 (m, 2H), 6.90-6.86 (m, 2H), 6.81-6.76 (m, 1H), 6.65 (dt, J = 7.39, 093 Hz, 1H), 6.51 (d, J = 7.58 Hz, 1H), 6.02 (s, 1H), 5.38 (s, 1H), 2.58 (s, 2H), 2.41 (s, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 202.2, 180.8, 152.0, 136.8, 135.7, 129.3, 129.1, 128.5, 128.1, 127.7, 127.1, 125.0, 123.9, 123.6, 121.3, 121.1, 117.1, 115.7, 110.0, 107.5, 103.9, 64.3, 61.9, 44.4, 20.7 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ $[M+H]^+$: 517.0777, found 517.0776.

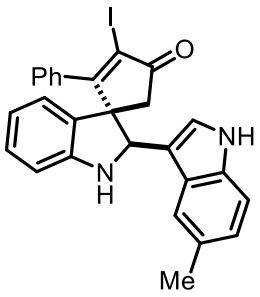
2'-(4-methoxy-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2c):



Reaction of ynone **1a** (75 mg, 0.289 mmol), 4-methoxyindole (42.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2c** as yellow solid (129 mg, 84% yield); mp 152-154 °C; R_f : 0.48 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.03 (d, J = 2.03 Hz, 1H), 7.38-7.30 (m, 3H), 7.08-6.96 (m, 4H), 6.90-6.82 (m, 3H), 6.61 (dt, J = 7.37, 0.90 Hz, 2H), 6.47 (d, J = 7.65 Hz, 1H), 6.46 (dd, J = 7.47, 0.90 Hz, 1H), 5.88 (s, 1H), 5.42 (s, 1H), 3.68 (s, 3H), 2.67 (d, J =

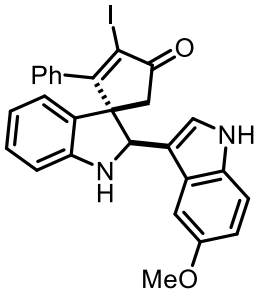
18.81 Hz, 1H), 2.53 (d, *J* = 18.80 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.3, 181.0, 153.3, 152.3, 138.0, 136.0, 129.1, 128.9, 128.0, 127.8, 127.1, 124.0, 122.4, 121.6, 116.9, 116.4, 115.2, 107.4, 105.3, 103.5, 99.2, 63.8, 62.4, 55.3, 43.5 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2O_2I$ $[M+H]^+$: 533.0726, found 533.0729.

2'-(5-methyl-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one

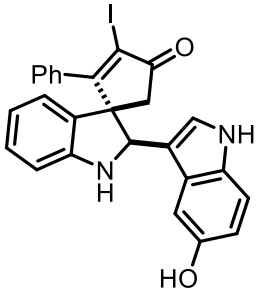


(2d): Reaction of ynone **1a** (75 mg, 0.289 mmol), 5-methylindole (38 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2d** as yellow solid (136 mg, 91% yield); mp 84-87 °C; R_f : 0.55 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.99 (s, 1H), 7.50-7.41 (m, 3H), 7.33-7.23 (m, 3H), 7.22-7.19 (m, 1H), 7.15-7.05 (m, 2H), 7.00 (s, 1H), 6.92-6.87 (m, 1H), 6.74-6.68 (m, 1H), 6.59 (d, *J* = 7.75 Hz, 1H), 6.16 (s, 1H), 5.25-5.20 (m, 1H), 2.78 (d, *J* = 18.43 Hz, 1H), 2.42 (d, *J* = 18.31 Hz, 1H), 2.27 (s, 3H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.9, 178.5, 151.2, 135.9, 135.1, 130.7, 129.5, 128.9, 128.4, 127.2, 126.3, 124.6, 123.3, 123.0, 119.3, 117.9, 112.2, 111.5, 108.9, 105.4, 64.0, 63.1, 45.1, 21.4 ppm; HRMS (ESI): calcd. for $C_{27}H_{20}N_2OI$ $[M-H]^-$: 515.0620, found 515.0621.

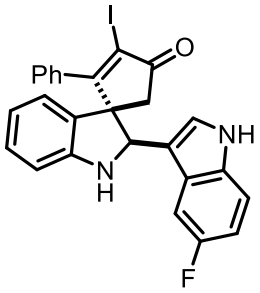
2'-(5-methoxy-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2e):



Reaction of ynone **1a** (75 mg, 0.289 mmol), 5-methoxyindole (42.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2e** as off white solid (137 mg, 89% yield); mp 160-162 °C; R_f : 0.44 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.94 (s, 1H), 7.44-7.39 (m, 3H), 7.28-7.23 (m, 2H), 7.21-7.16 (m, 2H), 7.08-7.03 (m, 2H), 6.73-6.67 (m, 2H), 6.56-6.51 (m, 2H), 6.06 (bs, 1H), 5.16 (s, 1H), 3.51 (s, 3H), 2.73 (d, *J* = 18.52 Hz, 1H), 2.53 (d, *J* = 18.50 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.0, 179.6, 153.1, 151.6, 135.9, 131.9, 130.1, 129.3, 129.1, 128.3, 127.9, 125.9, 125.3, 123.2, 117.7, 113.6, 112.5, 111.6, 108.5, 104.8, 101.3, 63.6, 63.2, 55.1, 44.8 ppm; HRMS (ESI): calcd. for $C_{27}H_{20}N_2O_2I$ $[M-H]^-$: 531.0569, found 531.0564.

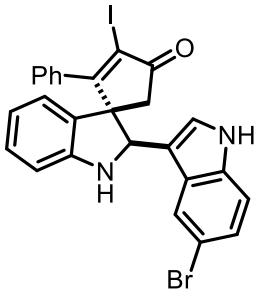
2'-(5-hydroxy-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2f): 

Reaction of ynone **1a** (75 mg, 0.289 mmol), 5-hydroxyindole (38.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2f** as light brown solid (130 mg, 87% yield); mp 177-179 °C; R_f : 0.22 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.80 (d, J = 2.20 Hz, 1H), 8.67 (s, 1H), 7.45-7.40 (m, 3H), 7.25-7.20 (m, 2H), 7.15 (d, J = 8.65 Hz, 1H), 7.11-7.05 (m, 3H), 6.74 (d, J = 2.23 Hz, 1H), 6.69 (dt, J = 7.41, 0.78 Hz, 1H), 6.60 (dd, J = 8.65, 2.29 Hz, 1H), 6.57-6.57 (m, 1H), 6.10 (d, J = 2.38 Hz, 1H), 5.10 (d, J = 2.34 Hz, 1H), 2.80 (d, J = 18.40 Hz, 1H), 2.40 (d, J = 18.39 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 202.1, 179.1, 151.3, 150.5, 136.1, 131.3, 130.3, 129.4, 129.0, 128.5, 128.3, 127.0, 124.8, 123.5, 117.8, 112.2, 111.9, 111.6, 108.9, 105.2, 104.1, 64.4, 63.2, 45.3 ppm; HRMS (ESI): calcd. for $C_{26}H_{18}N_2O_2I$ [M-H]$^-$: 517.0413, found 517.0406.

2'-(5-fluoro-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2g): 

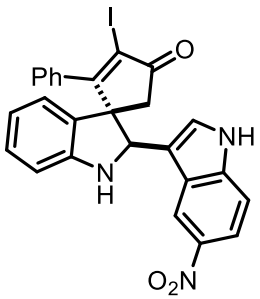
Reaction of ynone **1a** (75 mg, 0.289 mmol), 5-fluoroindole (39 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2g** as off white solid (102 mg, 68% yield); mp 155-157 °C; R_f : 0.37 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.23 (d, J = 2.18 Hz, 1H), 7.45-7.41 (m, 3H), 7.39-7.34 (m, 2H), 7.23-7.19 (m, 2H), 7.14-7.09 (m, 2H), 6.92 (dt, J = 9.11, 2.55 Hz, 1H), 6.84 (dd, J = 10.42, 2.54 Hz, 1H), 6.72 (dt, J = 7.41, 0.91 Hz, 1H), 6.58-6.54 (m, 1H), 6.14 (d, J = 2.01 Hz, 1H), 5.16 (d, J = 1.96 Hz, 1H), 2.68 (d, J = 18.40 Hz, 1H), 2.50 (d, J = 18.42 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.7, 179.0, 156.6 (d, J = 231.3 Hz), 151.3, 135.9, 133.4, 130.0, 129.3, 129.1, 128.3, 128.0, 126.7, 125.9 (d, J = 10.03 Hz), 123.4, 117.9, 113.3 (d, J = 4.64 Hz), 112.8 (d, J = 9.86 Hz), 109.5 (d, J = 26.06 Hz), 108.7, 105.2, 104.4 (d, J = 23.89 Hz), 63.8, 63.0, 44.7 ppm; ^{19}F NMR (376 MHz, DMSO-d_6) δ -124.5 ppm; HRMS (ESI): calcd. for $C_{26}H_{17}N_2OIF$ [M-H]$^-$: 519.0370, found 519.0369.

2'-(5-bromo-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



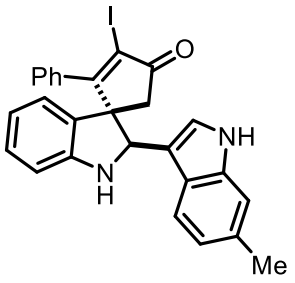
(2h): Reaction of ynone **1a** (75 mg, 0.289 mmol), 5-bromoindole (56.7 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2h** as yellow solid (145 mg, 86% yield); mp 178-180 °C; R_f : 0.35 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.35 (d, J = 2.13 Hz, 1H), 7.47-7.42 (m, 3H), 7.38-7.31 (m, 3H), 7.29-7.24 (m, 2H), 7.18 (dd, J = 8.62, 1.93 Hz, 1H), 7.14-7.08 (m, 2H), 6.73 (dt, J = 7.42, 0.88 Hz, 1H), 6.60 (d, J = 7.73 Hz, 1H), 6.20 (d, J = 2.44 Hz, 1H), 5.18 (d, J = 2.35 Hz, 1H), 2.68 (d, J = 18.34 Hz, 1H), 2.44 (d, J = 18.32 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.7, 178.4, 151.1, 135.8, 135.4, 130.4, 129.5, 129.1, 128.4, 128.3, 127.8, 126.2, 123.9, 123.4, 122.0, 118.2, 113.9, 112.5, 111.6, 109.1, 105.5, 64.0, 62.9, 44.9 ppm; HRMS (ESI): calcd. for $C_{26}H_{17}N_2OBrI$ [M-H]$^-$: 578.9569, found 578.9561.

3-iodo-2'-(5-nitro-1*H*-indol-3-yl)-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



(2i): Reaction of ynone **1a** (75 mg, 0.289 mmol), 5-nitroindole (46.8 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2i** as pale brown solid (104 mg, 66% yield); mp 165-167 °C; R_f : 0.25 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.88 (s, 1H), 8.26 (s, 1H), 8.04-7.93 (m, 1H), 7.61-7.52 (m, 2H), 7.51-7.41 (m, 3H), 7.37-7.29 (m, 2H), 7.18-7.08 (m, 2H), 6.80-6.66 (m, 2H), 6.30 (s, 1H), 5.627 (d, J = 2.30 Hz, 1H), 2.64 (d, J = 18.26 Hz, 1H), 2.45 (d, J = 18.30 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.4, 178.0, 150.9, 140.5, 139.8, 135.7, 130.4, 129.5, 129.1, 128.5, 128.3, 125.2, 123.5, 118.4, 116.8, 115.5, 112.4, 109.3, 105.7, 63.9, 62.6, 45.0 ppm; HRMS (ESI): calcd. for $C_{26}H_{17}N_3O_3I$ [M-H]$^-$: 546.0315, found 546.0316.

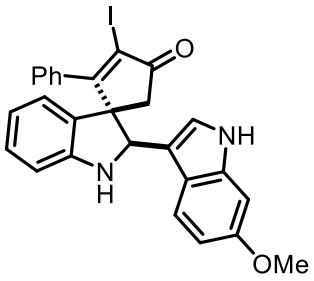
3-iodo-2'-(6-methyl-1*H*-indol-3-yl)-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



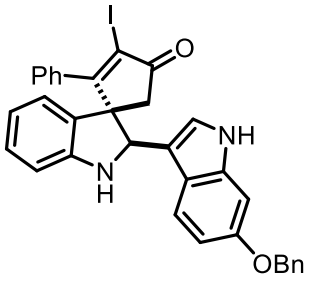
(2j): Reaction of ynone **1a** (75 mg, 0.289 mmol), 6-methylindole (38 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2j** as off white solid (126 mg, 84% yield); mp 118-120 °C; R_f : 0.51 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.94 (d, J = 1.96 Hz, 1H), 7.47-7.39 (m, 3H), 7.25-7.20 (m, 2H), 7.17-7.13 (m, 2H), 7.12-7.05 (m, 3H), 6.75-6.67 (m, 2H), 6.55 (d,

J = 7.69 Hz, 1H), 6.12 (s, 1H), 5.17 (d, *J* = 0.89 Hz, 1H), 2.73 (d, *J* = 18.45 Hz, 1H), 2.42 (d, *J* = 18.43 Hz, 1H), 2.35 (s, 3H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.0, 179.0, 151.4, 137.2, 136.0, 130.5, 130.3, 129.4, 129.0, 128.4, 128.2, 123.9, 123.8, 123.4, 120.7, 119.4, 117.8, 112.9, 111.6, 108.8, 105.2, 64.0, 63.1, 45.1, 21.4 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ $[M+H]^+$: 517.0777, found 517.0776.

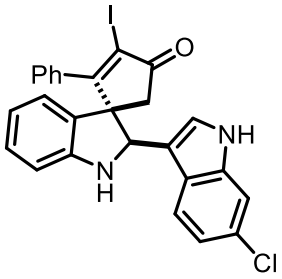
3-iodo-2'-(6-(methoxy)-1*H*-indol-3-yl)-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2k): Reaction of ynone **1a** (75 mg, 0.289 mmol), 6-methoxyindole (42.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2k** as off white solid (128 mg, 83% yield); mp 175-177 °C; R_f : 0.35 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.89 (d, *J* = 2.01 Hz, 1H), 7.46-7.40 (m, 3H), 7.24-7.19 (m, 2H), 7.12-7.05 (m, 4H), 6.86 (d, *J* = 2.24 Hz, 1H), 6.69 (dt, *J* = 7.41, 0.92 Hz, 1H), 6.58-6.52 (m, 2H), 6.11 (d, *J* = 2.14 Hz, 1H), 5.14 (d, *J* = 2.02 Hz, 1H), 3.73 (s, 3H), 2.72 (d, *J* = 18.44 Hz, 1H), 2.43 (d, *J* = 18.42 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.9, 179.1, 155.6, 151.3, 137.6, 135.9, 130.1, 129.3, 129.0, 128.3, 128.1, 123.3, 123.1, 120.3, 120.2, 117.7, 113.1, 109.1, 108.7, 105.1, 94.7, 63.9, 63.1, 55.1, 45.0 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2O_2I$ $[M+H]^+$: 533.0726, found 533.0731.



2'-(6-(benzyloxy)-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2l): Reaction of ynone **1a** (75 mg, 0.289 mmol), 6-benzyloxyindole (64.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2l** as pale brown solid (162 mg, 92% yield); mp 117-119 °C; R_f : 0.44 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.92 (d, *J* = 2.11 Hz, 1H), 7.48-7.37 (m, 7H), 7.34-7.31 (m, 1H), 7.24-7.20 (m, 2H), 7.13-7.06 (m, 4H), 6.95 (d, *J* = 2.23 Hz, 1H), 6.70 (dt, *J* = 7.41, 0.92 Hz, 1H), 6.65 (dd, *J* = 8.68, 2.25 Hz, 1H), 6.55 (d, *J* = 7.70 Hz, 1H), 6.13 (d, *J* = 2.14 Hz, 1H), 5.16 (d, *J* = 2.02 Hz, 1H), 5.09 (s, 2H), 2.74 (d, *J* = 18.43 Hz, 1H), 2.45 (d, *J* = 18.41 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.9, 179.0, 154.6, 151.3, 137.5, 137.4, 135.9, 130.1, 129.3, 129.0, 128.4, 128.3, 128.1, 127.7, 127.5, 123.3 (2), 120.4 (2), 117.7, 113.0, 109.7, 108.6, 105.1, 96.1, 69.4, 63.9, 63.1, 45.0 ppm; HRMS (ESI): calcd. for $C_{33}H_{24}N_2O_2I$ $[M-H]^-$: 607.0882, found 607.0890.

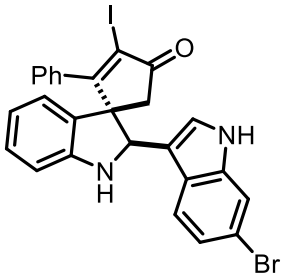


2'-(6-chloro-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



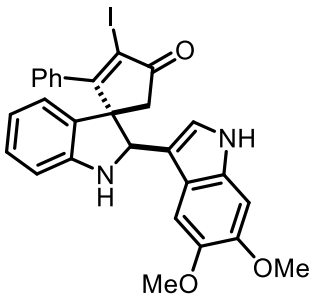
(2m): Reaction of ynone **1a** (75 mg, 0.289 mmol), 6-chloroindole (43.8 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2m** as off white solid (122 mg, 79% yield); mp 90-93 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d$_6$) δ 11.26 (d, J = 1.77 Hz, 1H), 7.46-7.40 (m, 4H), 7.32-7.29 (m, 1H), 7.25-7.20 (m, 3H), 7.12-7.06 (m, 2H), 6.95-6.90 (m, 1H), 6.71 (dt, J = 7.40, 0.78 Hz, 1H), 6.56 (d, J = 7.61 Hz, 1H), 6.18 (s, 1H), 5.18 (d, J = 1.69 Hz, 1H), 2.67 (d, J = 18.29 Hz, 1H), 2.43 (d, J = 18.33 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d$_6$) δ 201.7, 178.7, 151.2, 137.1, 135.9, 130.1, 129.4, 129.0, 128.4, 128.1, 126.1, 125.7, 124.8, 123.4, 121.1, 119.2, 117.9, 113.2, 111.4, 108.8, 105.4, 63.9, 62.8, 44.9 ppm; HRMS (ESI): calcd. for $C_{26}H_{19}N_2OClI$ $[M+H]^+$: 537.0231, found 537.0234.

2'-(6-bromo-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



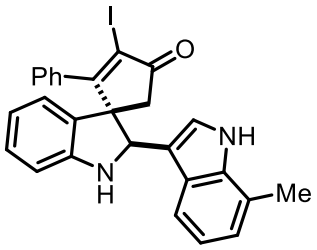
(2n): Reaction of ynone **1a** (75 mg, 0.289 mmol), 6-bromoindole (56.7 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2n** as off white solid (122 mg, 72% yield); mp 129-132 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d$_6$) δ 11.26 (d, J = 2.21 Hz, 1H), 7.57 (d, J = 1.56 Hz, 1H), 7.45-7.40 (m, 3H), 7.29 (d, J = 2.45 Hz, 1H), 7.26-7.22 (m, 2H), 7.21-7.18 (m, 1H), 7.13-7.06 (m, 2H), 7.05-7.02 (m, 1H), 6.71 (dt, J = 7.42, 0.94 Hz, 1H), 6.57 (d, J = 7.68 Hz, 1H), 6.18 (d, J = 2.19 Hz, 1H), 5.19 (d, J = 2.01 Hz, 1H), 2.67 (d, J = 18.36 Hz, 1H), 2.45 (d, J = 18.34 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d$_6$) δ 201.7, 178.7, 151.2, 137.6, 135.9, 130.1, 129.4, 129.1, 128.4, 128.2, 125.7, 125.1, 123.5, 121.8, 121.5, 118.0, 114.4, 114.2, 113.2, 108.9, 105.4, 64.0, 62.8, 45.0 ppm; HRMS (ESI): calcd. for $C_{26}H_{19}N_2OBrI$ $[M+H]^+$: 580.9725, found 580.9711.

2'-(5,6-dimethoxy-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2o): Reaction of ynone **1a** (75 mg, 0.289 mmol), 5,6-dimethoxyindole (51.2 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2o** as light brown solid (153 mg, 94% yield); mp 167-169 °C; R_f : 0.17 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d$_6$) δ 10.78 (d, J = 2.06 Hz, 1H), 7.45-7.38 (m, 3H), 7.20-7.16 (m, 2H),



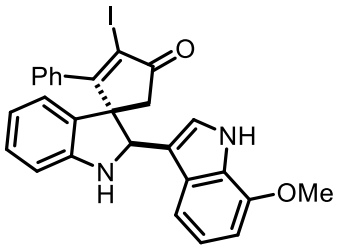
7.13-7.07 (m, 3H), 6.88 (s, 1H), 6.69 (dt, *J* = 7.40, 0.78 Hz, 1H), 6.53 (d, *J* = 7.63 Hz, 1H), 6.49 (s, 1H), 6.06 (d, *J* = 1.55 Hz, 1H), 5.13 (d, *J* = 1.57 Hz, 1H), 3.73 (s, 3H), 3.48 (s, 3H), 2.72 (d, *J* = 18.55 Hz, 1H), 2.53 (d, *J* = 18.50 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.0, 179.6, 151.7, 146.4, 144.2, 135.9, 131.2, 130.0, 129.3, 129.0, 128.2, 127.9, 123.2, 122.8, 118.2, 117.6, 113.8, 108.5, 104.8, 102.0, 95.3, 63.5, 63.3, 55.6, 55.6, 44.6 ppm; HRMS (ESI): calcd. for $C_{28}H_{24}N_2O_3I$ $[M+H]^+$: 563.0832, found 563.0828.

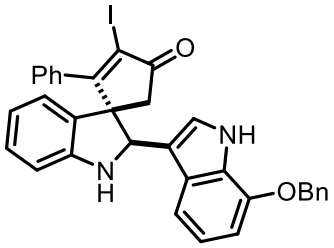
2'-(7-methyl-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



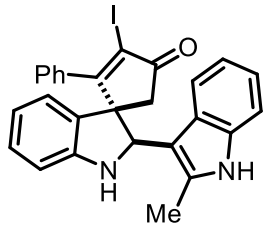
(2p): Reaction of ynone **1a** (75 mg, 0.289 mmol), 7-methylindole (38 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2p** as off white solid (128 mg, 86% yield); mp 162-164 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.09 (s, 1H), 7.46-7.40 (m, 3H), 7.26-7.20 (m, 3H), 7.14-7.06 (m, 2H), 7.05-7.00 (m, 1H), 6.90-6.85 (m, 1H), 6.82-6.77 (m, 1H), 6.70 (t, *J* = 7.39 Hz, 1H), 6.55 (d, *J* = 7.80 Hz, 1H), 6.12 (s, 1H), 5.23-5.20 (m, 1H), 2.73 (dd, *J* = 18.47, 2.66 Hz, 1H), 2.49-2.41 (m, 4H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.0, 179.2, 151.4, 136.3, 135.9, 130.2, 129.4, 129.0, 128.4, 128.2, 125.6, 124.3, 123.3, 121.9, 120.9, 119.1, 117.7, 117.3, 113.6, 108.6, 105.1, 63.9, 63.0, 45.1, 16.8 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ $[M+H]^+$: 517.0777, found 517.0778.

2'-(7-methoxy-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2q): Reaction of ynone **1a** (75 mg, 0.289 mmol), 7-methoxyindole (42.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2q** as yellow solid (140 mg, 90% yield); mp 169-172 °C; R_f : 0.46 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.23 (d, *J* = 2.2 Hz, 1H), 7.46-7.41 (m, 3H), 7.25-7.19 (m, 2H), 7.14 (d, *J* = 2.6 Hz, 1H), 7.12-7.06 (m, 2H), 6.84-6.80 (m, 2H), 6.69 (dt, *J* = 7.4, 0.8 Hz, 1H), 6.66-6.62 (m, 1H), 6.54 (d, *J* = 7.70 Hz, 1H), 6.14 (d, *J* = 2.1 Hz, 1H), 5.19 (d, *J* = 2.0 Hz, 1H), 3.89 (s, 3H), 2.72 (d, *J* = 18.5 Hz, 1H), 2.44 (d, *J* = 18.4 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.8, 179.0, 151.3, 146.2, 135.9, 130.1, 129.3, 129.0, 128.3, 128.1, 127.4, 126.8, 124.0, 123.3, 119.5, 117.7, 113.7, 112.4, 108.6, 105.1, 101.8, 63.9, 62.9, 55.1, 45.0 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2O_2I$ $[M+H]^+$: 533.0726, found 533.0724.

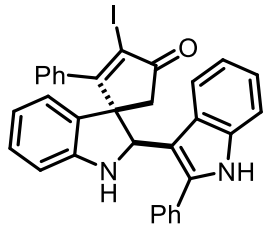


2'-(7-benzyloxy-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2r): 

Reaction of ynone **1a** (75 mg, 0.289 mmol), 7-benzyloxyindole (64.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2r** as off white solid (162 mg, 92% yield); mp 120-123 °C; R_f : 0.55 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.26 (d, J = 2.4 Hz, 1H), 7.59-7.55 (m, 2H), 7.46-7.40 (m, 5H), 7.36-7.33 (m, 1H), 7.24-7.20 (m, 2H), 7.16 (d, J = 2.6 Hz, 1H), 7.12-7.06 (m, 2H), 6.84-6.78 (m, 2H), 6.75-6.67 (m, 2H), 6.55 (d, J = 7.7 Hz, 1H), 6.14 (d, J = 2.1 Hz, 1H), 5.24 (s, 2H), 5.20 (d, J = 2.0 Hz, 1H), 2.74 (d, J = 18.5 Hz, 1H), 2.45 (d, J = 18.4 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.9, 179.1, 151.3, 145.2, 137.3, 135.9, 130.1, 129.4, 129.0, 128.5, 128.4, 128.1, 127.8, 127.6 (2), 127.1, 124.2, 123.4, 119.5, 117.8, 113.8, 112.7, 108.7, 105.1, 103.2, 69.2, 63.9, 62.9, 45.0 ppm; HRMS (ESI): calcd. for $C_{33}H_{26}N_2O_2I$ [M+H]$^+$: 609.1039, found 609.1041.

3-iodo-2'-(2-methyl-1*H*-indol-3-yl)-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2s): 

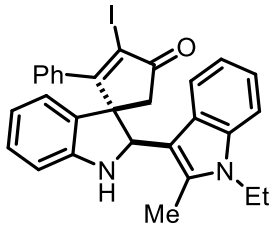
Reaction of ynone **1a** (75 mg, 0.289 mmol), 2-methylindole (38 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2s** as yellow solid (135 mg, 88% yield); mp 77-80 °C; R_f : 0.51 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.99 (s, 1H), 7.46-7.40 (m, 3H), 7.28-7.15 (m, 4H), 7.14-7.08 (m, 1H), 7.01-6.96 (m, 2H), 6.86-6.80 (m, 1H), 6.70-6.64 (m, 1H), 6.54 (d, J = 7.84 Hz, 1H), 6.09 (s, 1H), 5.24 (s, 1H), 2.73 (d, J = 18.45 Hz, 1H), 2.53 (d, J = 18.48, 1H), 2.15 (s, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 202.1, 178.9, 151.4, 135.6, 135.5, 133.9, 130.1, 129.6, 129.2, 128.3, 128.3, 127.2, 123.1, 120.4, 118.7, 117.4, 110.7, 108.4, 108.2, 104.2, 64.0, 62.1, 45.9 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ [M+H]$^+$: 517.0777, found 517.0782.

3-iodo-2-phenyl-2'-(2-phenyl-1*H*-indol-3-yl)spiro[cyclopentane-1,3'-indolin]-2-en-4-one (2t): 

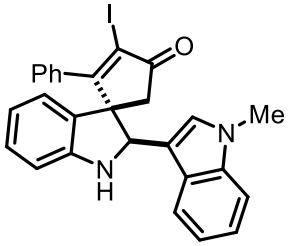
Reaction of ynone **1a** (75 mg, 0.289 mmol), 2-phenylindole (56 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2t** as yellow solid (156 mg, 94% yield); mp 180-183 °C; R_f : 0.67 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.44 (s, 1H), 7.58-7.48 (m, 3H), 7.41-

7.29 (m, 4H), 7.27-7.21 (m, 2H), 7.16-7.05 (m, 4H), 6.90-6.82 (m, 1H), 6.74-6.65 (m, 3H), 6.45 (d, *J* = 7.67 Hz, 1H), 6.13 (s, 1H), 5.19 (s, 1H), 2.85-2.70 (m, 2H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.3, 180.0, 151.8, 137.2, 136.4, 135.3, 132.0, 129.6, 129.3, 129.0, 128.8, 128.4, 128.1, 127.5, 126.5, 123.5, 121.9, 120.6, 119.4, 117.1, 111.6, 111.3, 107.6, 104.0, 63.6, 61.3, 46.0 ppm; HRMS (ESI): calcd. for $C_{32}H_{24}N_2OI$ $[M+H]^+$: 579.0933, found 579.0931.

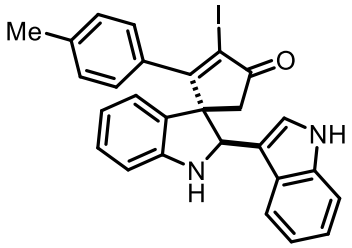
2'-(1-ethyl-2-methyl-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2u): Reaction of ynone **1a** (75 mg, 0.289 mmol), 1-ethyl-2-methylindole (46 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2u** as yellow solid (146 mg, 93% yield); mp 157-159 °C; R_f : 0.68 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.46-7.37 (m, 4H), 7.26-7.20 (m, 3H), 7.11 (dd, *J* = 7.63, 1.24 Hz, 1H), 7.08-7.03 (m, 1H), 7.00-6.95 (m, 1H), 6.86 (dd, *J* = 7.51, 0.76 Hz, 1H), 6.67 (dt, *J* = 7.40, 0.89 Hz, 1H), 6.54 (d, *J* = 7.75 Hz, 1H), 6.13 (d, *J* = 1.61 Hz, 1H), 5.28 (bs, 1H), 4.18-4.08 (m, 2H), 2.68 (d, *J* = 18.10 Hz, 1H), 2.53 (d, *J* = 18.20 Hz, 1H), 2.17 (s, 3H), 1.18 (t, *J* = 7.10 Hz, 3H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.0, 178.8, 151.4, 135.5, 134.5, 130.0, 129.7, 129.3, 128.4, 128.3, 126.6, 123.1, 120.6, 118.9, 117.4, 109.3, 108.7, 108.3, 104.1, 64.1, 62.3, 46.0, 37.2, 15.1 ppm; HRMS (ESI): calcd. for $C_{29}H_{26}N_2OI$ $[M+H]^+$: 545.1090, found 545.1099.



2'-(1-methyl-1*H*-indol-3-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2v): Reaction of ynone **1a** (75 mg, 0.289 mmol), 1-methylindole (38 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **2v** as yellow solid (140 mg, 94% yield); mp 82-84 °C; R_f : 0.72 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.47-7.39 (m, 4H), 7.30-7.18 (m, 4H), 7.16-7.06 (m, 3H), 6.96-6.91 (m, 1H), 6.71 (t, *J* = 7.42 Hz, 1H), 6.56 (d, *J* = 7.78 Hz, 1H), 6.14 (s, 1H), 5.20 (d, *J* = 1.94 Hz, 1H), 3.76 (s, 3H), 2.75 (d, *J* = 18.49 Hz, 1H), 2.46 (d, *J* = 18.55 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.9, 179.0, 151.2, 137.1, 135.9, 130.2, 129.4, 129.1, 128.9, 128.4, 128.1, 126.2, 123.3, 121.4, 119.8, 119.0, 117.8, 112.3, 110.1, 108.7, 105.1, 63.8, 62.7, 45.1, 32.5 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ $[M+H]^+$: 517.0777, found 517.0778.

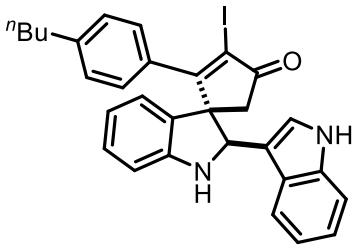


2'-(1*H*-indol-3-yl)-3-iodo-2-(p-tolyl)spiro[cyclopentane-1,3'-indolin]-2-en-4-one (2w):



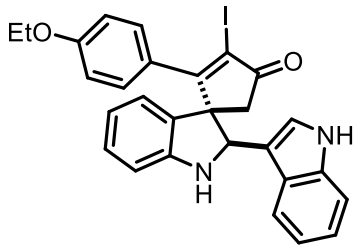
Reaction of ynone **1b** (75 mg, 0.274 mmol), indole (32.1 mg, 0.274 mmol) and NIS (67.9 mg, 0.302 mmol) following the GP1 afforded the title compound **2w** as yellow solid (120 mg, 85% yield); mp 121-123 °C; R_f : 0.51 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d$_6$) δ 11.10 (s, 1H), 7.39-7.34 (m, 1H), 7.26-7.20 (m, 4H), 7.17-7.01 (m, 5H), 6.92-6.86 (m, 1H), 6.73-6.66 (m, 1H), 6.56 (d, *J* = 7.78 Hz, 1H), 6.14 (s, 1H), 5.20 (d, *J* = 1.75 Hz, 1H), 2.71 (d, *J* = 18.43 Hz, 1H), 2.42 (d, *J* = 18.39 Hz, 1H), 2.33 (s, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d$_6$) δ 201.8, 179.0, 151.3, 139.1, 136.7, 132.9, 130.4, 129.0, 128.9, 128.2, 125.9, 124.5, 123.3, 121.3, 119.7, 118.9, 117.8, 113.1, 111.8, 108.7, 104.7, 63.9, 63.1, 45.1, 20.9 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ $[M+H]^+$: 517.0777, found 517.0780.

2-(4-butylphenyl)-2'-(1H-indol-3-yl)-3-iodospiro[cyclopentane-1,3'-indolin]-2-en-4-one (2x):



Reaction of ynone **1c** (89 mg, 0.190 mmol), indole (22.3 mg, 0.190 mmol) and NIS (47.1 mg, 0.209 mmol) following the GP1 afforded the title compound **2x** as pale brown solid (86 mg, 81% yield); mp 147-149 °C; R_f : 0.40 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d$_6$) δ 11.11 (s, 1H), 7.40-7.35 (m, 1H), 7.30-7.17 (m, 6H), 7.13-7.01 (m, 3H), 6.92-6.85 (m, 1H), 6.73-6.66 (m, 1H), 6.61-6.55 (m, 1H), 6.18 (s, 1H), 5.22 (s, 1H), 2.73 (d, *J* = 18.36 Hz, 1H), 2.60 (t, *J* = 7.72 Hz, 2H), 2.40 (d, *J* = 18.38 Hz, 1H), 1.63-1.52 (m, 2H), 1.36-1.26 (m, 2H), 0.90 (t, *J* = 7.32 Hz, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d$_6$) δ 201.9, 178.7, 151.2, 144.0, 136.7, 133.1, 130.7, 129.0, 128.4, 128.3, 126.0, 124.5, 123.2, 121.4, 119.6, 118.9, 117.9, 112.9, 111.8, 108.8, 104.8, 64.0, 63.1, 45.2, 34.7, 32.8, 21.9, 13.9 ppm; HRMS (ESI): calcd. for $C_{30}H_{28}N_2OI$ $[M+H]^+$: 559.1246, found 559.1245.

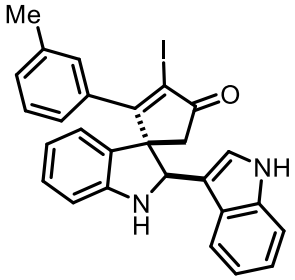
2'-(1*H*-indol-3-yl)-2-(4-ethoxyphenyl)spiro[cyclopentane-1,3'-indolin]-2-en-4-one (2y):



Reaction of ynone **1d** (81 mg, 0.267 mmol), indole (31.3 mg, 0.267 mmol) and NIS (66.1 mg, 0.293 mmol) following the GP1 afforded the title compound **2y** as pale brown solid (137 mg, 94% yield); mp 173-176 °C; R_f : 0.40 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d$_6$) δ 11.10 (d, *J* = 1.99 Hz, 1H), 7.37-7.33 (m, 1H), 7.30-7.25 (m, 2H), 7.22 (d, *J* = 2.45 Hz, 1H), 7.17 (d, *J* =

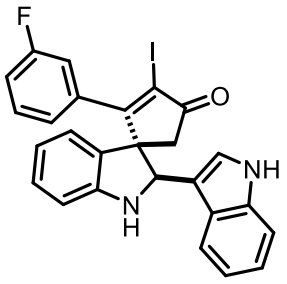
8.01 Hz, 1H), 7.10 (dd, *J* = 7.75, 1.22 Hz, 1H), 7.05 (dd, *J* = 7.12, 1.04 Hz, 1H), 7.01-6.97 (m, 3H), 6.87 (dd, *J* = 7.05, 0.91 Hz, 1H), 6.68 (dt, *J* = 7.41, 0.93 Hz, 1H), 6.59 (d, *J* = 7.75 Hz, 1H), 6.21 (bs, 1H), 5.23 (s, 1H), 4.05 (q, *J* = 6.99 Hz, 2H), 2.71 (d, *J* = 18.36 Hz, 1H), 2.36 (d, *J* = 18.35 Hz, 1H), 1.32 (t, *J* = 6.97 Hz, 3H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.8, 178.1, 159.5, 151.1, 136.7, 131.1, 130.4, 129.0, 127.4, 126.0, 124.5, 123.1, 121.4, 119.5, 118.9, 118.0, 114.2, 112.9, 111.9, 108.9, 103.9, 63.9, 63.4, 63.3, 45.3, 14.7 ppm; HRMS (ESI): calcd. for $C_{28}H_{24}N_2O_2I$ $[M+H]^+$: 547.0882, found 547.0872.

2'-(1*H*-indol-3-yl)-3-iodo-2-(*m*-tolyl)spiro[cyclopentane-1,3'-indolin]-2-en-4-one (2z):



Reaction of ynone **1e** (53 mg, 0.194 mmol), indole (22.7 mg, 0.194 mmol) and NIS (48 mg, 0.213 mmol) following the GP1 afforded the title compound **2z** as off white solid (91 mg, 91% yield); mp 133-136 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.11 (d, *J* = 1.68 Hz, 1H), 7.40-7.29 (m, 2H), 7.28-7.18 (m, 3H), 7.13-7.04 (m, 3H), 7.01-6.96 (m, 2H), 6.91-6.85 (m, 1H), 6.74-6.68 (m, 1H), 6.55 (d, *J* = 7.74 Hz, 1H), 6.12 (d, *J* = 1.87 Hz, 1H), 5.18 (d, *J* = 2.01 Hz, 1H), 2.71 (d, *J* = 18.45 Hz, 1H), 2.45 (d, *J* = 18.44 Hz, 1H), 2.27 (s, 3H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 202.0, 179.4, 151.4, 137.4, 136.8, 135.9, 130.2, 130.0, 129.0, 128.6, 128.3, 125.9, 125.2, 124.7, 123.4, 121.4, 119.7, 118.9, 117.7, 113.2, 111.9, 108.6, 104.9, 63.9, 63.0, 44.9, 21.2 ppm; HRMS (ESI): calcd. for $C_{27}H_{22}N_2OI$ $[M+H]^+$: 517.0777, found 517.0771.

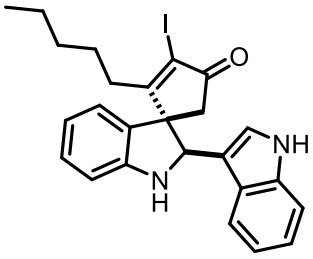
2-(3-fluorophenyl)-2'-(1*H*-indol-3-yl)-3-iodospiro[cyclopentane-1,3'-indolin]-2-en-4-one



(2aa): Reaction of ynone **1f** (97.5 mg, 0.351 mmol), indole (41.2 mg, 0.351 mmol) and NIS (87 mg, 0.387 mmol) following the GP1 afforded the title compound **2aa** as yellow solid (154 mg, 84% yield); mp 162-164 °C; R_f : 0.46 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.10 (s, 1H), 7.52-7.44 (m, 1H), 7.40-7.35 (m, 1H), 7.31-7.24 (m, 2H), 7.16-7.10 (m, 3H), 7.09-7.01 (m, 2H), 6.92-6.84 (m, 2H), 6.75-6.68 (m, 1H), 6.56-6.50 (m, 1H), 6.10 (s, 1H), 5.17 (d, *J* = 1.17 Hz, 1H), 2.70 (d, *J* = 18.55 Hz, 1H), 2.53 (d, *J* = 18.49 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.9, 178.0, 161.5 (d, *J* = 244.10 Hz), 151.6, 138.1 (d, *J* = 7.91 Hz), 136.9, 130.5 (d, *J* = 8.28 Hz), 129.3 (d, *J* = 10.32 Hz), 125.7, 124.8, 124.4, 123.5, 121.4, 119.8, 119.0,

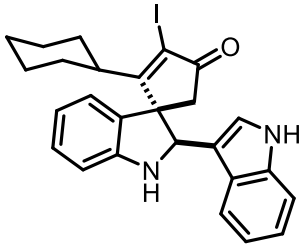
117.7, 116.1 (d, J = 20.71 Hz), 114.9, 114.7, 113.5, 111.8, 108.6, 105.6, 63.7, 63.0, 44.6 ppm; HRMS (ESI): calcd. for $C_{26}H_{19}N_2OFI$ $[M+H]^+$: 521.0526, found 521.0527.

2'-(1*H*-indol-3-yl)-3-iodo-2-pentylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (2ab):



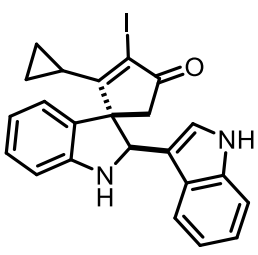
Reaction of ynone **1g** (105 mg, 0.414 mmol), indole (48.6 mg, 0.414 mmol) and NIS (102.6 mg, 0.455 mmol) following the GP1 afforded the title compound **2ab** as off white solid (182 mg, 88% yield); mp 172-174 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.07 (s, 1H), 7.37-7.31 (m, 1H), 7.28-7.22 (m, 1H), 7.13-6.96 (m, 3H), 6.95-6.90 (m, 1H), 6.86-6.80 (m, 1H), 6.70-6.60 (m, 2H), 6.26 (s, 1H), 5.18 (s, 1H), 2.78-2.53 (m, 3H), 2.28 (d, J = 18.40 Hz, 1H), 1.64-1.45 (m, 1H), 1.40-1.18 (m, 5H), 0.79 (t, J = 6.91 Hz, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.6, 184.4, 151.8, 136.8, 130.1, 128.9, 125.6, 124.7, 123.6, 121.3, 119.6, 118.9, 117.6, 113.8, 111.8, 108.8, 103.2, 63.4, 62.8, 44.0, 32.1, 31.7, 27.0, 21.6, 13.7 ppm; HRMS (ESI): calcd. for $C_{25}H_{26}N_2OI$ $[M+H]^+$: 497.1090, found 497.1088.

2-cyclohexyl-2'-(1*H*-indol-3-yl)-3-iodospiro[cyclopentane-1,3'-indolin]-2-en-4-one (2ac):



Reaction of ynone **1h** (67 mg, 0.252 mmol), indole (29.6 mg, 0.252 mmol) and NIS (62.5 mg, 0.277 mmol) following the GP1 afforded the title compound **2ac** as off white solid (106 mg, 83% yield); mp 176-179 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.08 (s, 1H), 7.40-7.32 (m, 1H), 7.27-7.17 (m, 2H), 7.14-7.03 (m, 2H), 6.95-6.84 (m, 2H), 6.70-6.60 (m, 2H), 6.31 (s, 1H), 5.22 (s, 1H), 2.77-2.64 (m, 1H), 2.54 (d, J = 18.50 Hz, 1H), 2.45-2.31 (m, 1H), 2.26-2.04 (m, 2H), 1.95-1.78 (m, 2H), 1.74-1.60 (m, 2H), 1.44-1.17 (m, 3H), 1.07-0.93 (m, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 202.0, 184.3, 151.9, 136.9, 130.0, 128.9, 125.8, 124.6, 123.5, 121.3, 120.0, 118.9, 117.5, 113.3, 111.8, 108.7, 99.9, 65.2, 62.9, 43.7, 28.4, 28.3, 25.8, 25.4 ppm; HRMS (ESI): calcd. for $C_{26}H_{26}N_2OI$ $[M+H]^+$: 509.1090, found 509.1077.

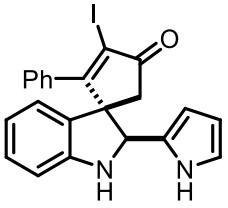
2-cyclopropyl-2'-(1*H*-indol-3-yl)-3-iodospiro[cyclopentane-1,3'-indolin]-2-en-4-one



(2ad): Reaction of ynone **1i** (50 mg, 0.224 mmol), indole (26.2 mg, 0.224 mmol) and NIS (55.4 mg, 0.246 mmol) following the GP1 afforded the title compound **2ad** as off white solid (93 mg, 89% yield); mp 126-130 °C; R_f : 0.43 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.10 (d, J = 1.55 Hz, 1H), 7.37-7.26 (m,

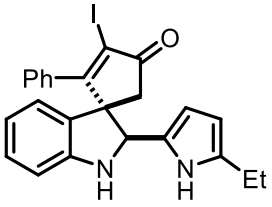
3H), 7.11-7.02 (m, 2H), 6.95-6.87 (m, 2H), 6.61-6.68 (m, 2H), 6.32 (d, *J* = 1.71 Hz, 1H), 5.50 (d, *J* = 1.62 Hz, 1H), 2.72 (d, *J* = 18.15 Hz, 1H), 2.06 (d, *J* = 18.15 Hz, 1H), 1.99-1.91 (m, 1H), 1.57-1.42 (m, 2H), 1.27-1.17 (m, 1H), 1.11-1.01 (m, 1H), ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 200.6, 181.6, 151.4, 136.6, 131.5, 128.6, 126.1, 124.6, 123.4, 121.3, 119.3, 118.8, 118.0, 112.3, 111.8, 109.1, 98.0, 64.0, 63.7, 44.3, 15.4, 9.4, 8.5 ppm; HRMS (ESI): calcd. for $C_{23}H_{20}N_2OI$ $[M+H]^+$: 467.0620, found 467.0610.

3-iodo-2-phenyl-2'-(1*H*-pyrrol-2-yl)spiro[cyclopentane-1,3'-indolin]-2-en-4-one (3a):

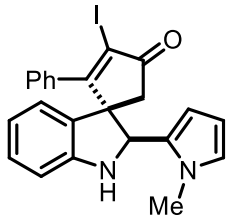


Reaction of ynone **1a** (75 mg, 0.289 mmol), pyrrole (19.4 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **3a** as pale brown solid (112 mg, 86% yield); mp 160-162 °C; R_f : 0.62 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.85 (s, 1H), 7.45-7.39 (m, 3H), 7.22-7.17 (m, 2H), 7.12-7.05 (m, 2H), 6.75-6.69 (m, 2H), 6.57 (d, *J* = 7.77 Hz, 1H), 6.22 (d, *J* = 2.34 Hz, 1H), 5.98 (dd, *J* = 5.64, 2.96 Hz, 1H), 5.79 (s, 1H), 4.90 (d, *J* = 2.94 Hz, 1H), 2.50 (d, *J* = 18.46 Hz, 1H), 2.32 (d, *J* = 18.46 Hz, 1H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.4, 178.0, 150.8, 136.1, 132.8, 130.1, 129.2, 129.0, 128.8, 128.5, 128.4, 128.0, 123.7, 118.4, 117.8, 109.4, 107.8, 106.1, 105.9, 64.6, 62.9, 44.6 ppm; HRMS (ESI): calcd. for $C_{22}H_{18}N_2OI$ $[M+H]^+$: 453.0464, found 453.0479.

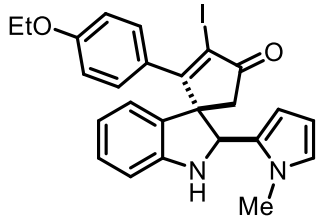
2'-(5-ethyl-1H-pyrrol-2-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one



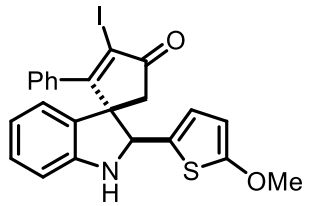
(3b): Reaction of ynone **1a** (75 mg, 0.289 mmol), 2-ethylpyrrole (27.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **3b** as yellow solid (116 mg, 84% yield); mp 141-144 °C; R_f : 0.69 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.57 (s, 1H), 7.45-7.37 (m, 3H), 7.20-7.13 (m, 2H), 7.10-7.04 (m, 2H), 6.74-6.68 (m, 1H), 6.59-6.54 (m, 1H), 6.15 (bs, 1H), 5.70-5.60 (m, 2H), 4.85 (s, 1H), 2.60 (d, *J* = 18.36 Hz, 1H), 2.54-2.47 (m, 2H), 2.32 (d, *J* = 18.39 Hz, 1H), 1.11 (t, *J* = 7.54 Hz, 3H) ppm; $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-d_6) δ 201.7, 178.3, 150.9, 136.2, 134.2, 130.2, 129.3, 128.8, 128.5, 128.1, 126.8, 123.7, 118.4, 109.4, 106.0, 105.9, 104.1, 64.7, 63.2, 44.9, 20.4, 14.3 ppm; HRMS (ESI): calcd. for $C_{24}H_{22}N_2OI$ $[M+H]^+$: 481.0777, found 481.0792.

3-iodo-2'-(1-methyl-1*H*-pyrrol-2-yl)-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (3c): 

Reaction of ynone **1a** (75 mg, 0.289 mmol), 1-methylpyrrole (23.5 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **3c** as yellow solid (118 mg, 88% yield); mp 157-160 °C; R_f : 0.67 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.43-7.34 (m, 3H), 7.11-7.05 (m, 3H), 7.01-6.97 (m, 1H), 6.72-6.63 (m, 2H), 6.51 (d, *J* = 7.72 Hz, 1H), 6.14 (d, *J* = 2.02 Hz, 1H), 5.96-5.91 (m, 2H), 5.04 (d, J = 2.15 Hz, 1H), 3.36 (s, 3H, *merged with H_2O peak*) 2.62 (d, *J* = 18.48 Hz, 1H), 2.38 (d, *J* = 18.51 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.8, 179.0, 150.9, 135.4, 130.7, 129.5, 129.2 (2), 128.3, 127.9, 123.7, 123.3, 117.7, 108.6, 108.4, 106.7, 104.6, 63.9, 61.8, 45.1, 34.3 ppm; HRMS (ESI): calcd. for $C_{23}H_{20}N_2OI$ $[M+H]^+$: 467.0620, found 467.0616.

2-(4-ethoxyphenyl)-3-iodo-2'-(1-methyl-1*H*-pyrrol-2-yl)spiro[cyclopentane-1,3'-indolin]-2-en-4-one (3d): 

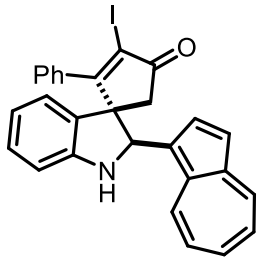
Reaction of ynone **1d** (81 mg, 0.267 mmol), 1-methylpyrrole (21.7 mg, 0.267 mmol) and NIS (66.1 mg, 0.294 mmol) following the GP1 afforded the title compound **3d** as pale yellow solid (122 mg, 90% yield); mp 162-165 °C; R_f : 0.52 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.18-7.12 (m, 2H), 7.07 (dt, *J* = 7.61, 1.14 Hz, 1H), 6.95-6.86 (m, 3H), 6.68-6.61 (m, 2H), 6.55 (d, *J* = 7.80 Hz, 1H), 6.18 (bs, 1H), 5.99-5.91 (m, 2H), 5.06 (s, 1H), 4.02 (q, *J* = 6.98 Hz, 2H), 3.29 (s, 3H) 2.49 (d, *J* = 18.35 Hz, 1H), 2.40 (d, *J* = 18.42 Hz, 1H), 1.30 (t, *J* = 6.96 Hz, 3H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.8, 178.0, 159.7, 150.7, 130.5, 130.3 (2), 129.2, 126.9, 123.7, 123.1, 118.1, 114.2, 108.8, 108.6, 106.9, 103.4, 64.0, 63.4, 62.2, 45.6, 34.3, 14.7 ppm; HRMS (ESI): calcd. for $C_{25}H_{24}N_2O_2I$ $[M+H]^+$: 511.0882, found 511.0890.

3-iodo-2'-(5-methoxythiophen-2-yl)-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (3e): 

Reaction of ynone **1a** (75 mg, 0.289 mmol), 2-methoxythiophene (33 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **3e** as yellow solid (132 mg, 92% yield); mp 155-158 °C; R_f : 0.69 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46-7.39 (m, 3H), 7.22-7.13 (m, 3H), 7.11-7.06 (m, 1H), 6.78-6.73 (m, 1H), 6.61-6.55 (m, 2H), 6.42 (d, *J* = 3.22 Hz, 1H), 6.19 (d, *J* = 3.85 Hz, 1H), 4.93 (d, *J* = 3.25 Hz, 1H), 3.81 (s, 3H), 2.76 (d, *J* = 18.46 Hz, 1H), 2.38 (d, *J* = 18.46 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 201.3, 177.5, 164.9, 150.1,

135.9, 130.2, 129.4, 129.0, 128.6, 128.1, 123.8, 122.7, 119.1, 109.8, 106.9, 103.5, 64.4, 64.3, 60.0, 44.1ppm; HRMS (ESI): calcd. for $C_{23}H_{19}NO_2S$ $[M+H]^+$: 500.0181, found 500.0178.

2'-(azulen-1-yl)-3-iodo-2-phenylspiro[cyclopentane-1,3'-indolin]-2-en-4-one (3f):

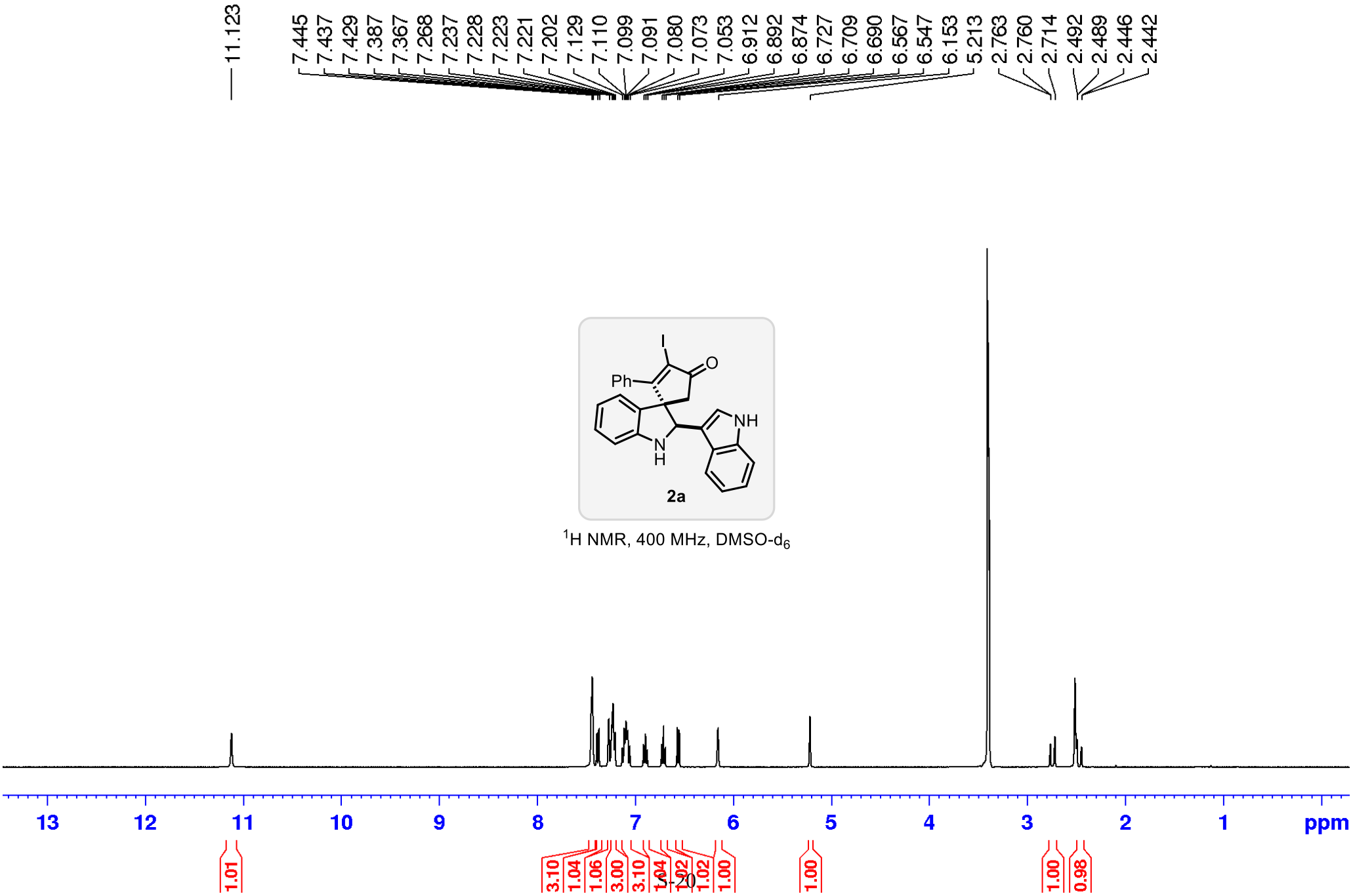


Reaction of ynone **1a** (75 mg, 0.289 mmol), azulene (37 mg, 0.289 mmol) and NIS (71.6 mg, 0.318 mmol) following the GP1 afforded the title compound **3f** as dark blue solid (140 mg, 94% yield); mp 164-168 °C; R_f : 0.74 (30% Ethyl Acetate-Petroleum Ether); ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.41 (d, J = 9.34 Hz, 1H), 8.13 (d, J = 9.82 Hz, 1H), 7.81 (d, J = 3.85 Hz, 1H), 7.70 (t, J = 9.84 Hz, 1H), 7.53-7.44 (m, 3H), 7.40 (d, J = 3.89 Hz, 1H), 7.35-7.21 (m, 3H), 7.18-7.10 (m, 2H), 7.03 (d, J = 7.36 Hz, 1H), 6.76-6.70 (m, 1H), 6.64 (d, J = 7.76 Hz, 1H), 6.36 (s, 1H), 5.64 (s, 1H), 2.46 (d, J = 18.45 Hz, 1H), 2.35 (d, J = 18.45 Hz, 1H) ppm; ^{13}C{^{1}H} NMR (100 MHz, DMSO-d_6) δ 201.5, 178.1, 151.2, 141.2, 138.5, 137.4, 136.8, 135.7, 135.6, 133.9, 130.2, 129.7, 129.1, 128.4 (2), 127.0, 123.6, 123.3, 122.7, 118.2, 117.5, 109.0, 105.0, 64.4, 63.2, 45.3 ppm; HRMS (ESI): calcd. for $C_{28}H_{21}NOI$ $[M+H]^+$: 514.0668, found 514.0671.

5. References:

1. (a) James, M. J.; Cuthbertson, J. D.; O'Brien, P.; Taylor, R. J. K.; Unsworth. *Angew. Chem., Int. Ed.* **2015**, *54*, 7640. (b) Liddon, J. T. R.; Clarke, A. K.; Taylor, R. J. K.; Unsworth, W. P. *Org. Lett.* **2016**, *18*, 6328. (c) James, M. J.; Clubley, R. E.; Palate, K. Y.; Procter, T. J.; Wyton, A. C.; O'Brien, P.; Taylor, R. J. K.; Unsworth, W. P. *Org. Lett.* **2015**, *17*, 4372.

Copies of ^{1}H and ^{13}C NMR Spectra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7.429
7.387
7.367
7.268
7.237
7.228
7.223
7.221
7.202
7.129
7.110
7.099
7.091
7.080
7.073
7.053
6.912
6.892
6.874
6.727
6.709
6.690
6.567
6.547
6.153
5.213
2.763
2.760
2.714
2.492
2.489
2.446
2.442
I
O
Ph
NH
N
H
2a
^{1}H NMR, 400 MHz, DMSO-d$_6$
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.01
3.10
1.04
1.06
3.00
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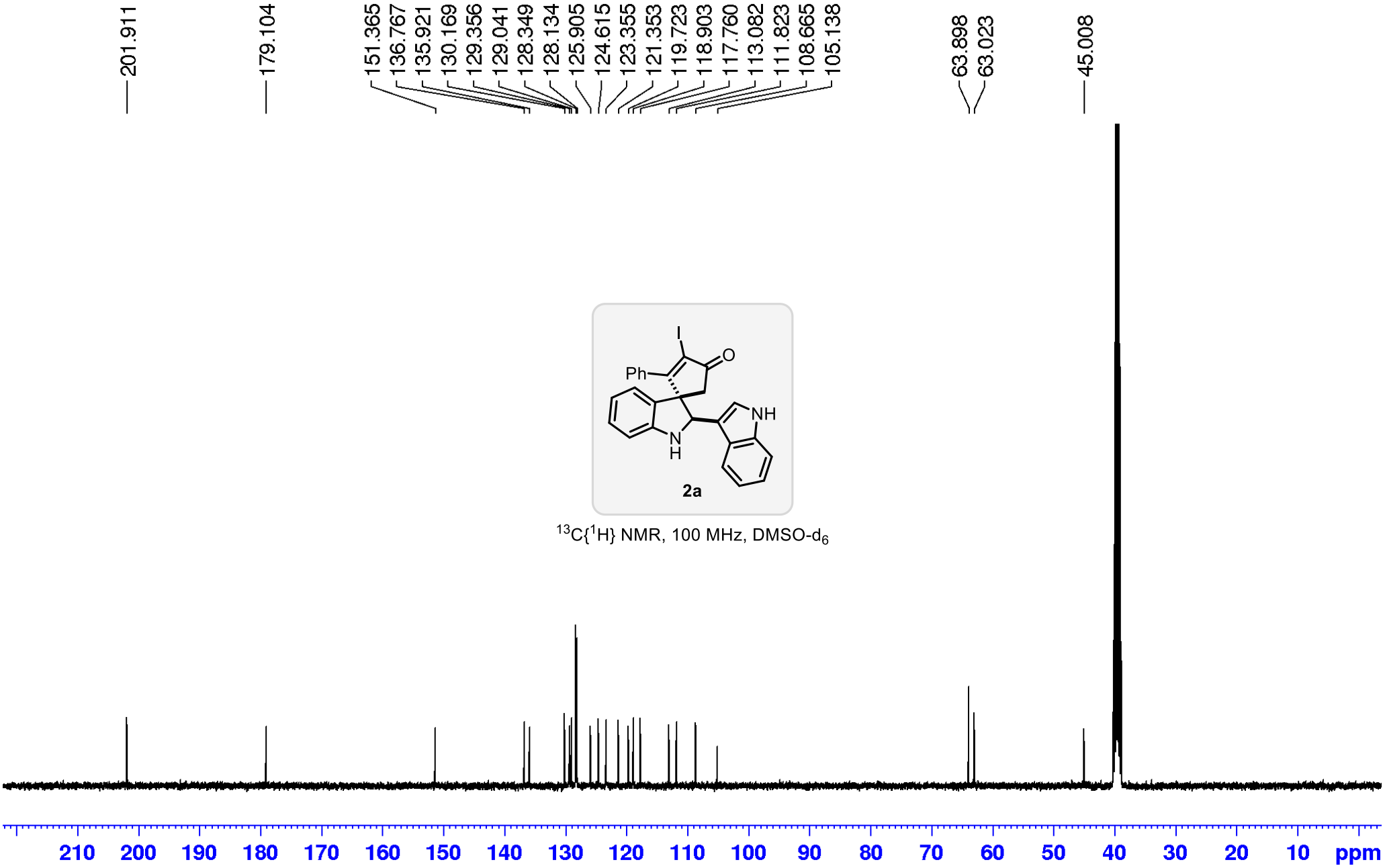
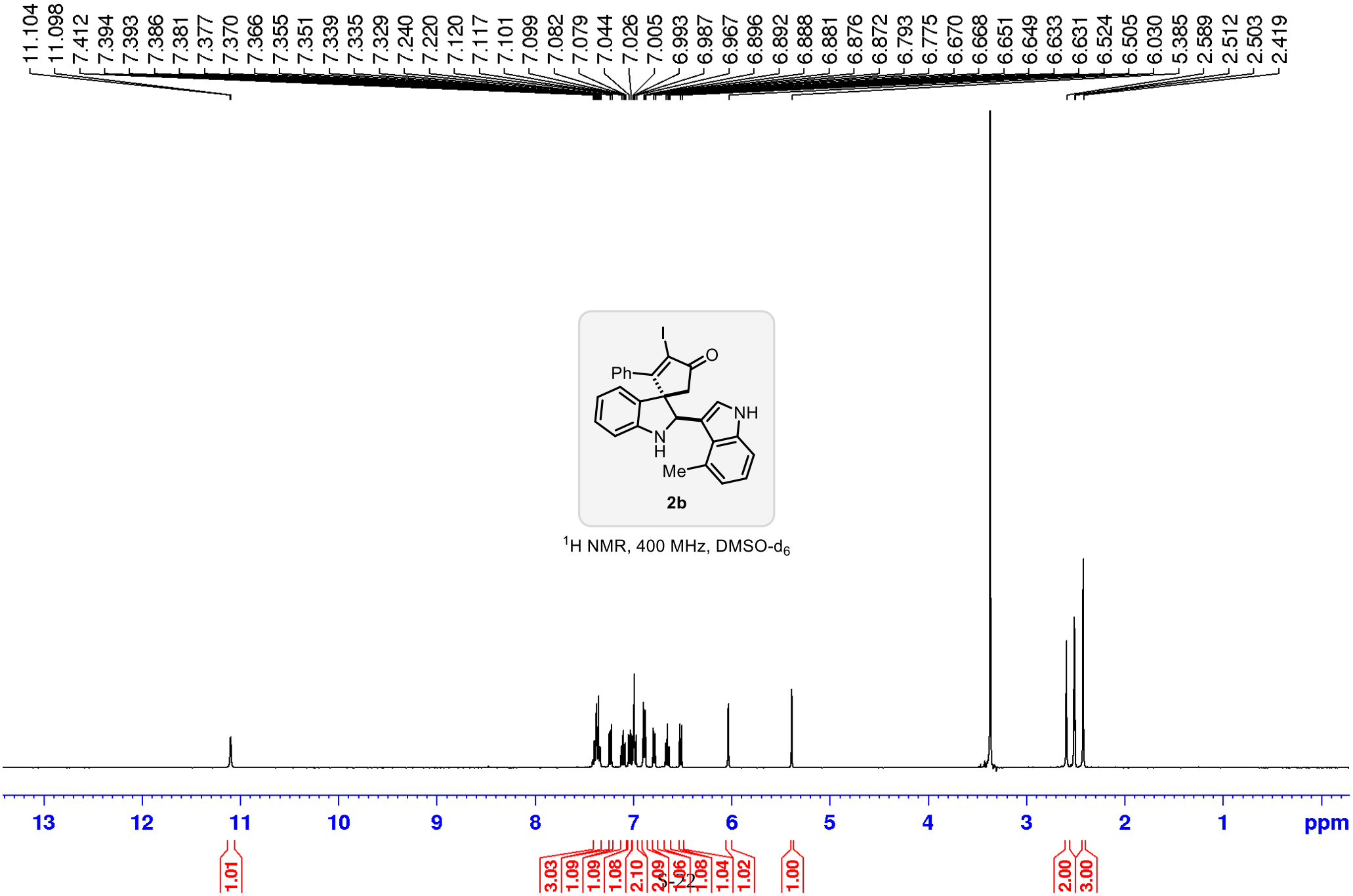
1.02
1.02
1.00
1.00
1.00
0.98



$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6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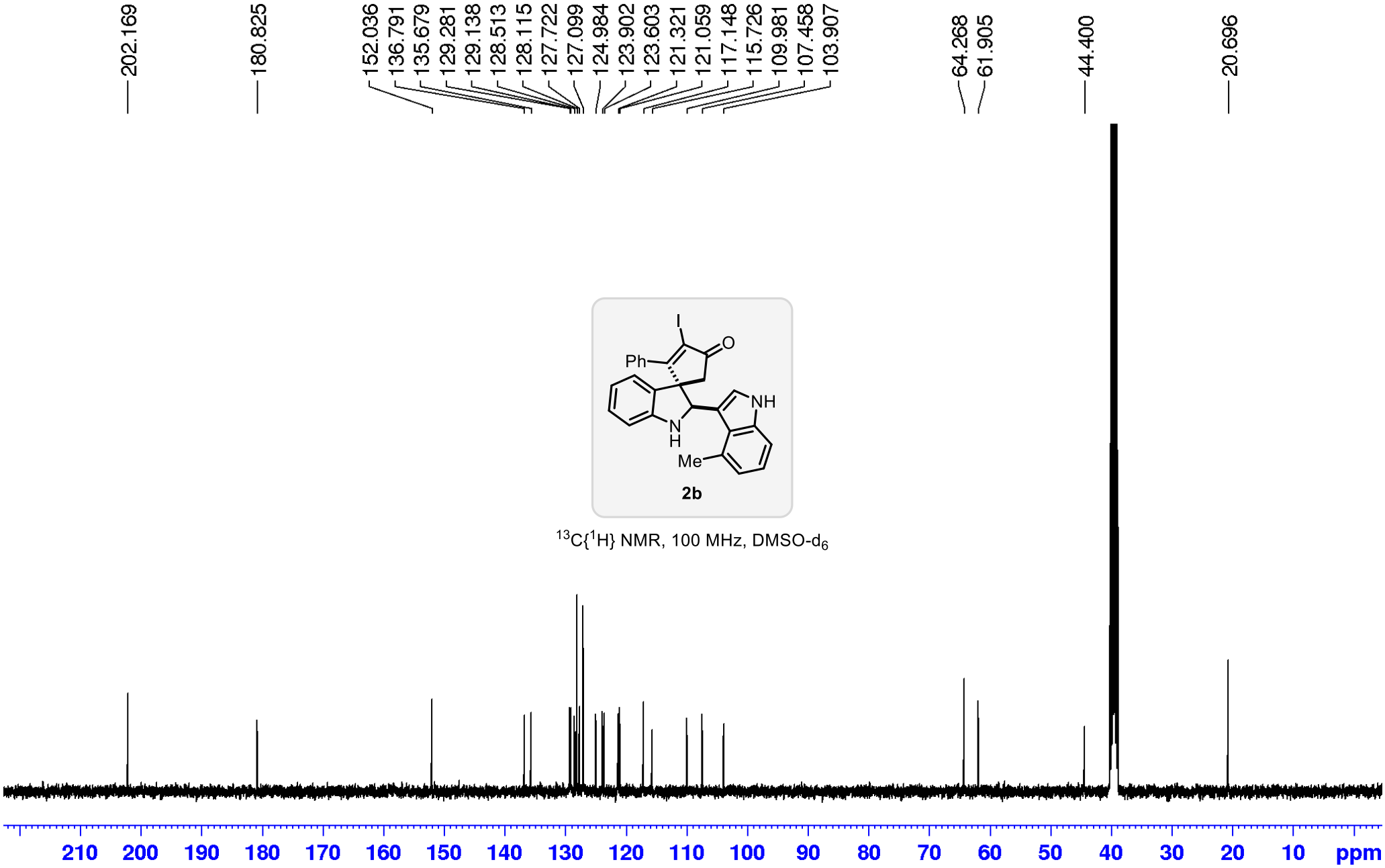
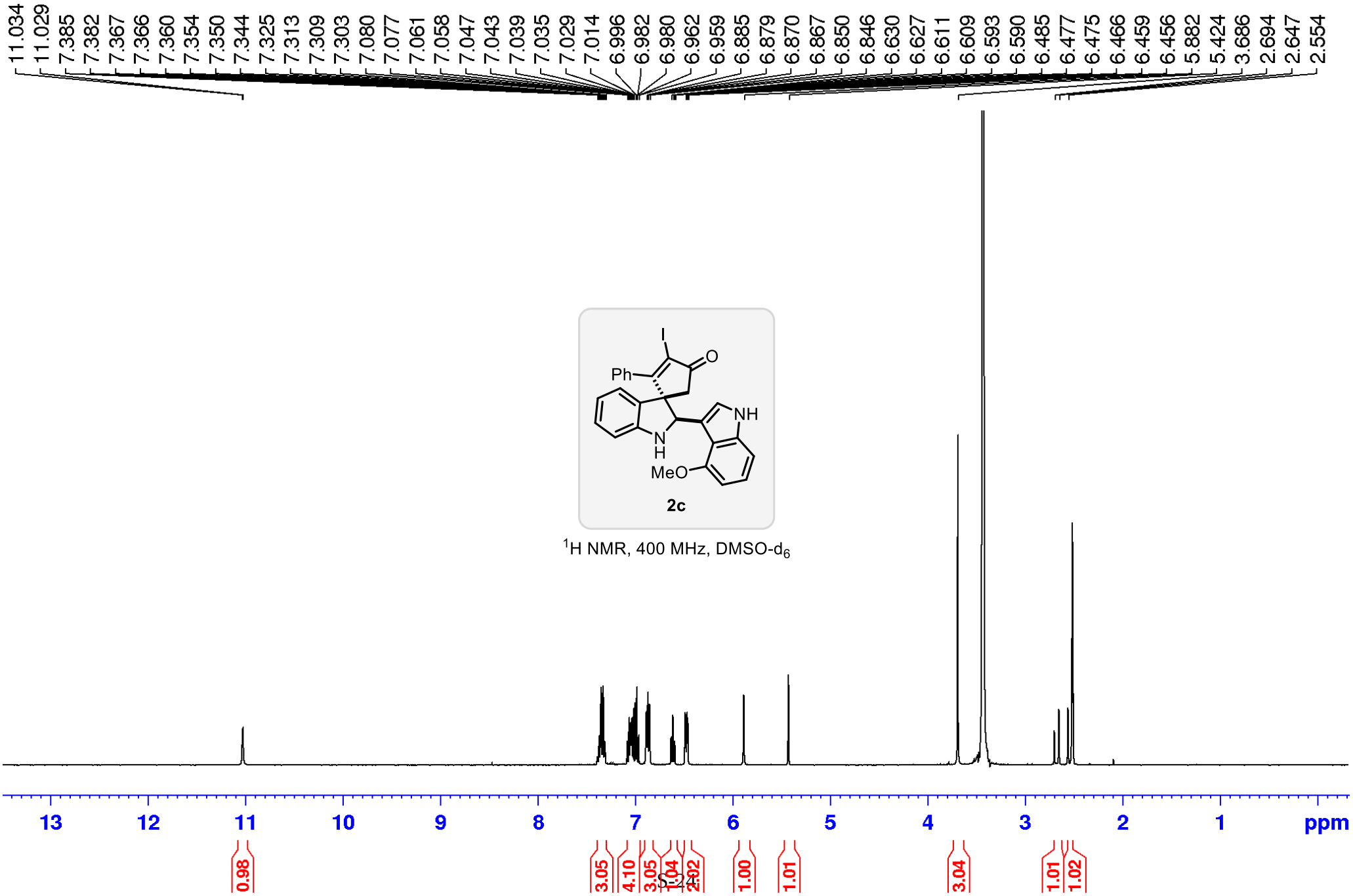
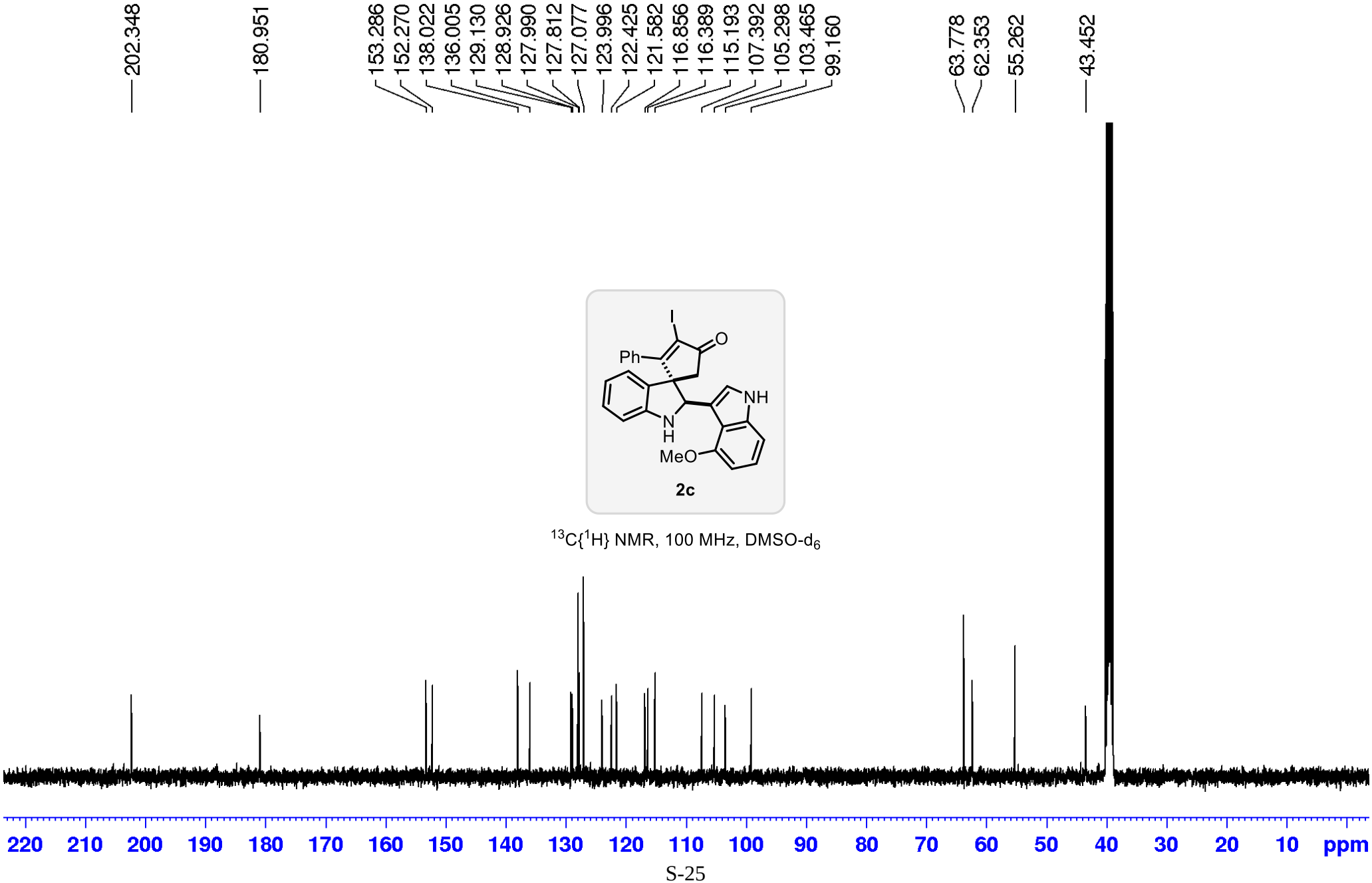
11.098
7.412
7.394
7.393
7.386
7.381
7.377
7.370
7.366
7.355
7.351
7.339
7.335
7.329
7.240
7.220
7.120
7.117
7.101
7.099
7.082
7.079
7.044
7.026
7.005
6.993
6.987
6.967
6.896
6.892
6.888
6.881
6.876
6.872
6.793
6.775
6.670
6.668
6.651
6.649
6.633
6.631
6.524
6.505
6.030
5.385
2.589
2.512
2.503
2.419
I
O
Ph
NH
N
H
Me
2b
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.01
3.03
1.09
1.09
1.08
2.10
2.09
1.06
1.08
1.04
1.02
1.00
2.00
3.00



$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

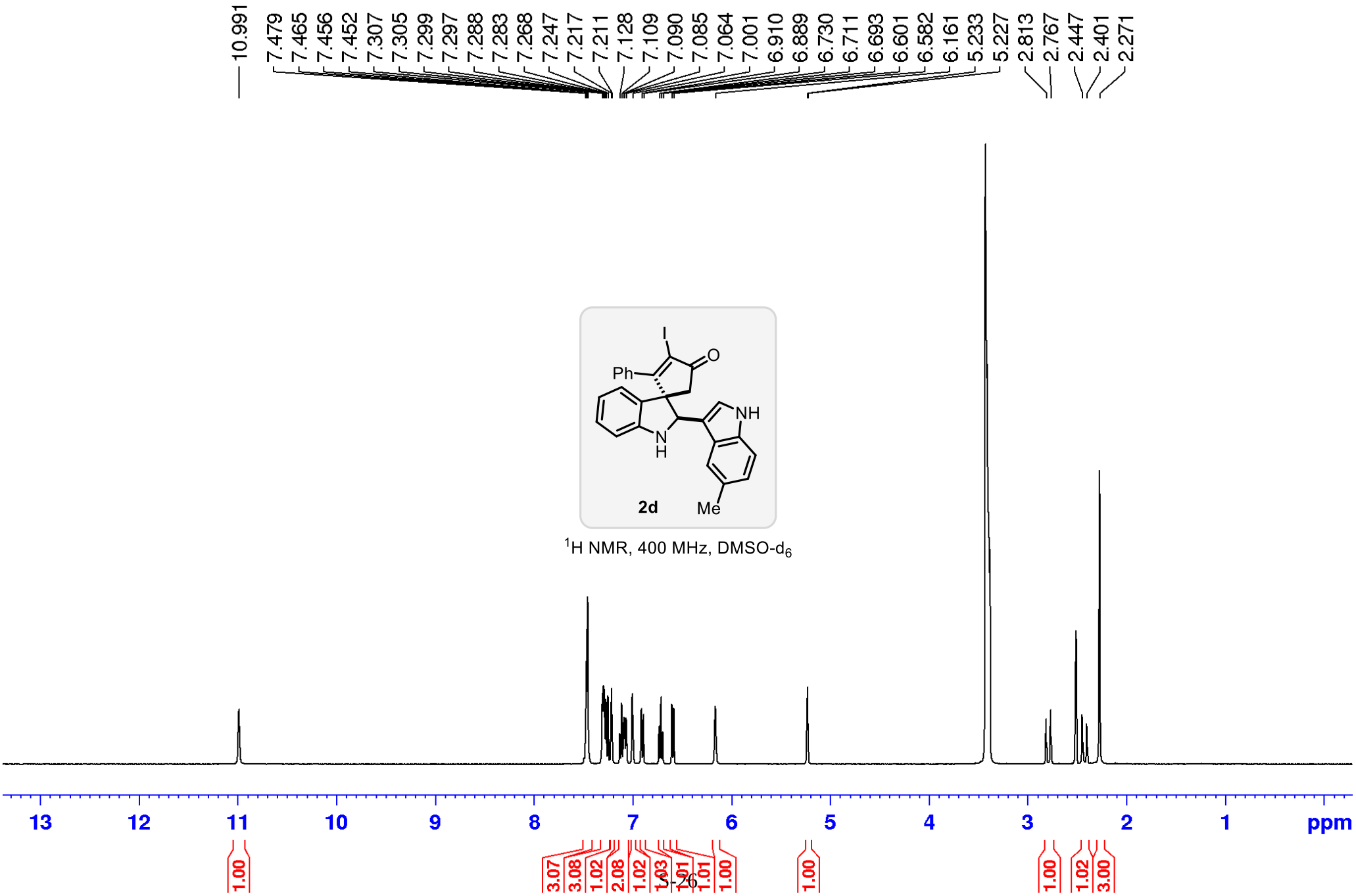


^{1}H NMR, 400 MHz, DMSO-d_6



2c

$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6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7.456
7.452
7.307
7.305
7.299
7.297
7.288
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7.268
7.247
7.217
7.211
7.128
7.109
7.090
7.085
7.064
7.001
6.910
6.889
6.730
6.711
6.693
6.601
6.582
6.161
5.233
5.227
2.813
2.767
2.447
2.401
2.271
I
O
Ph
NH
N
H
2d
Me
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
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3.08
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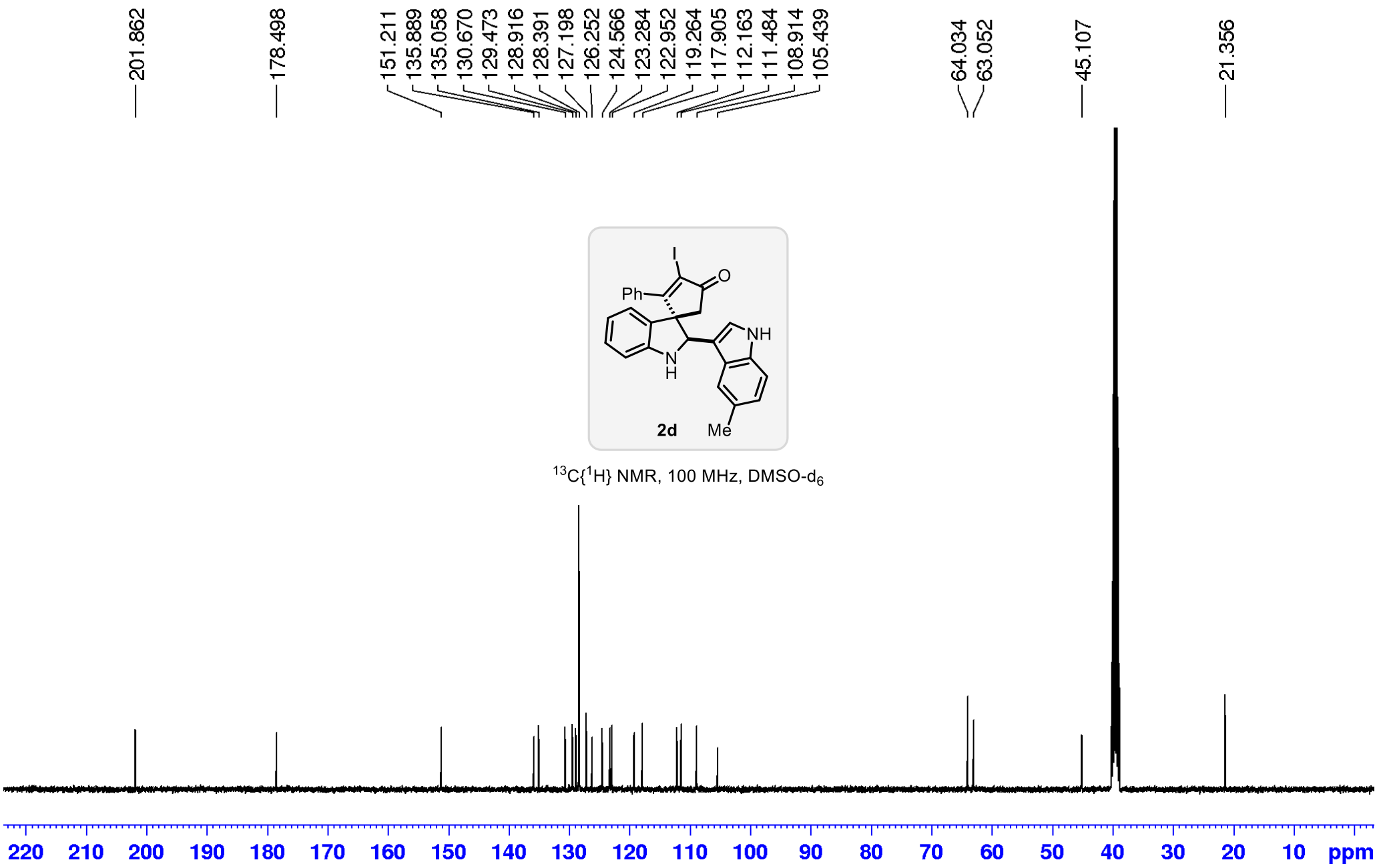
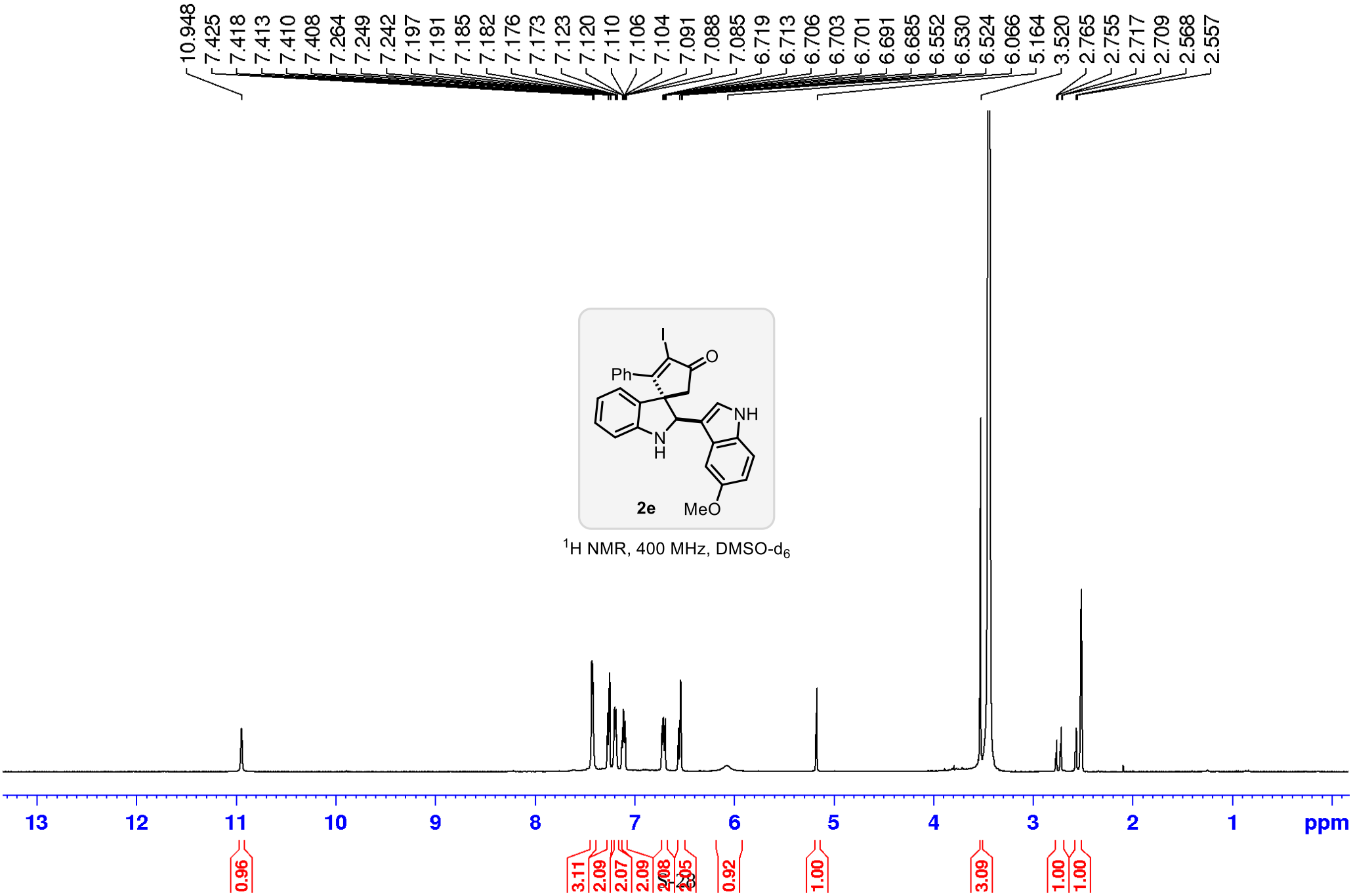
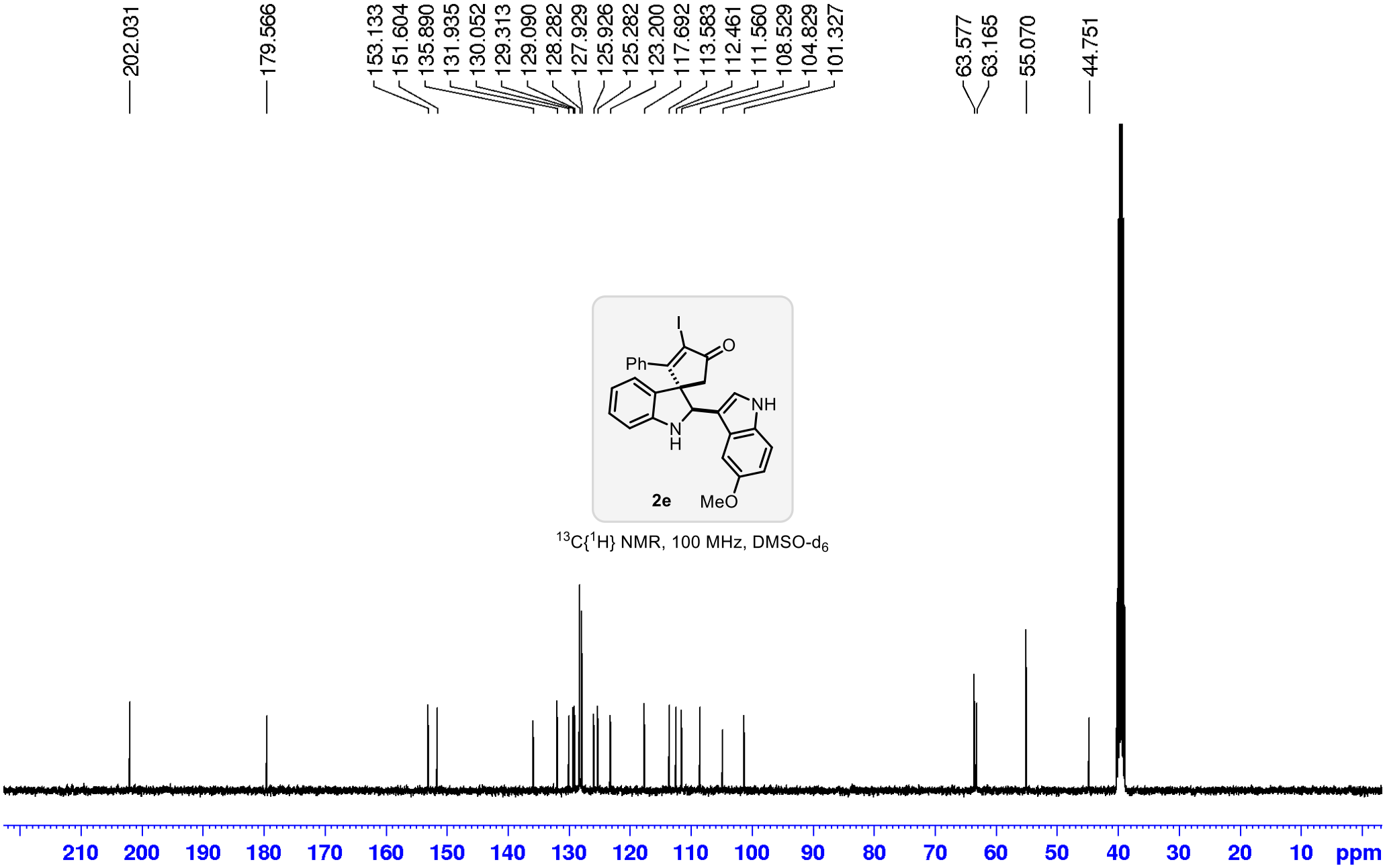
1.00
1.00
1.00
1.02
3.00
S-26



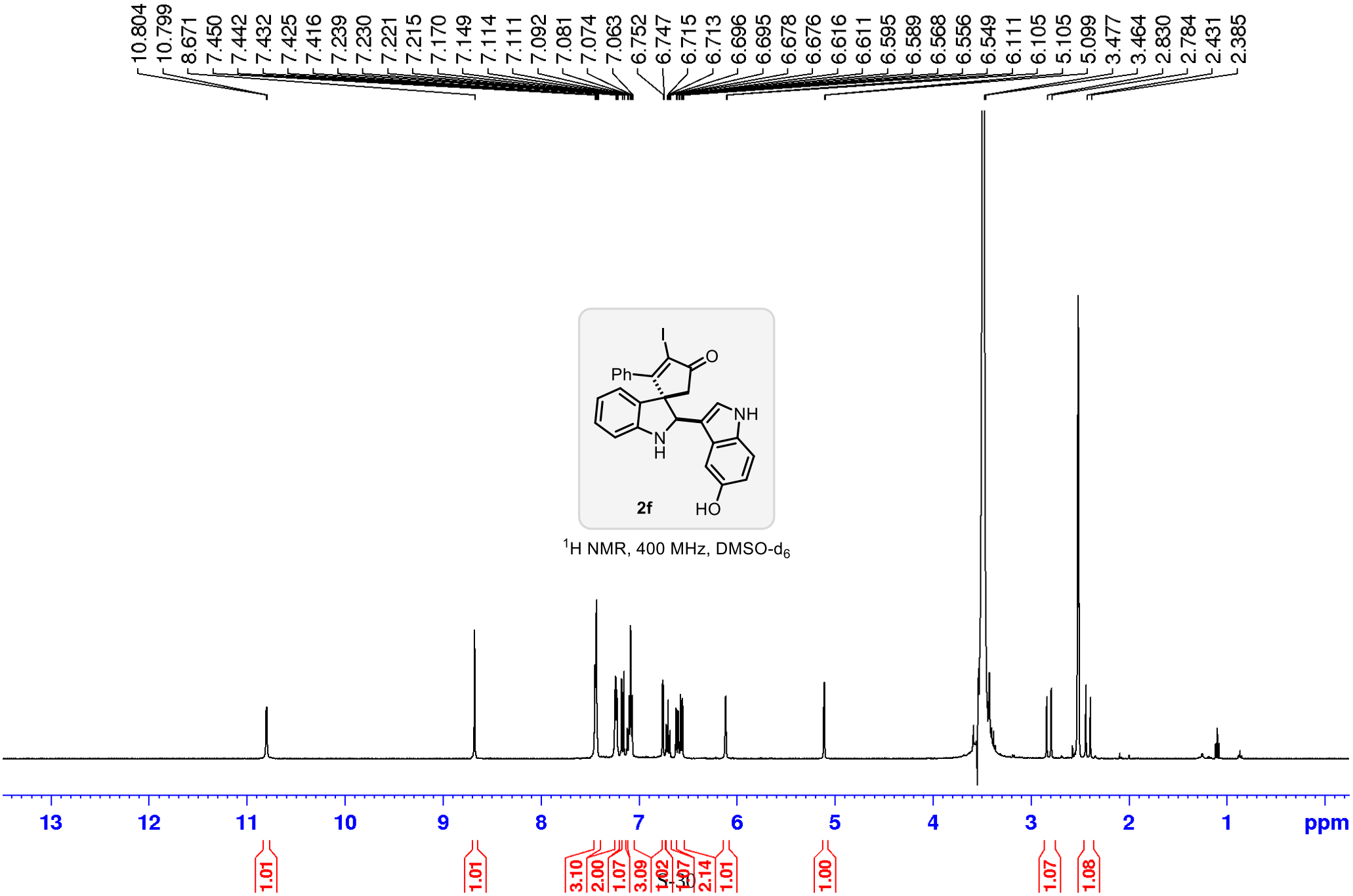
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



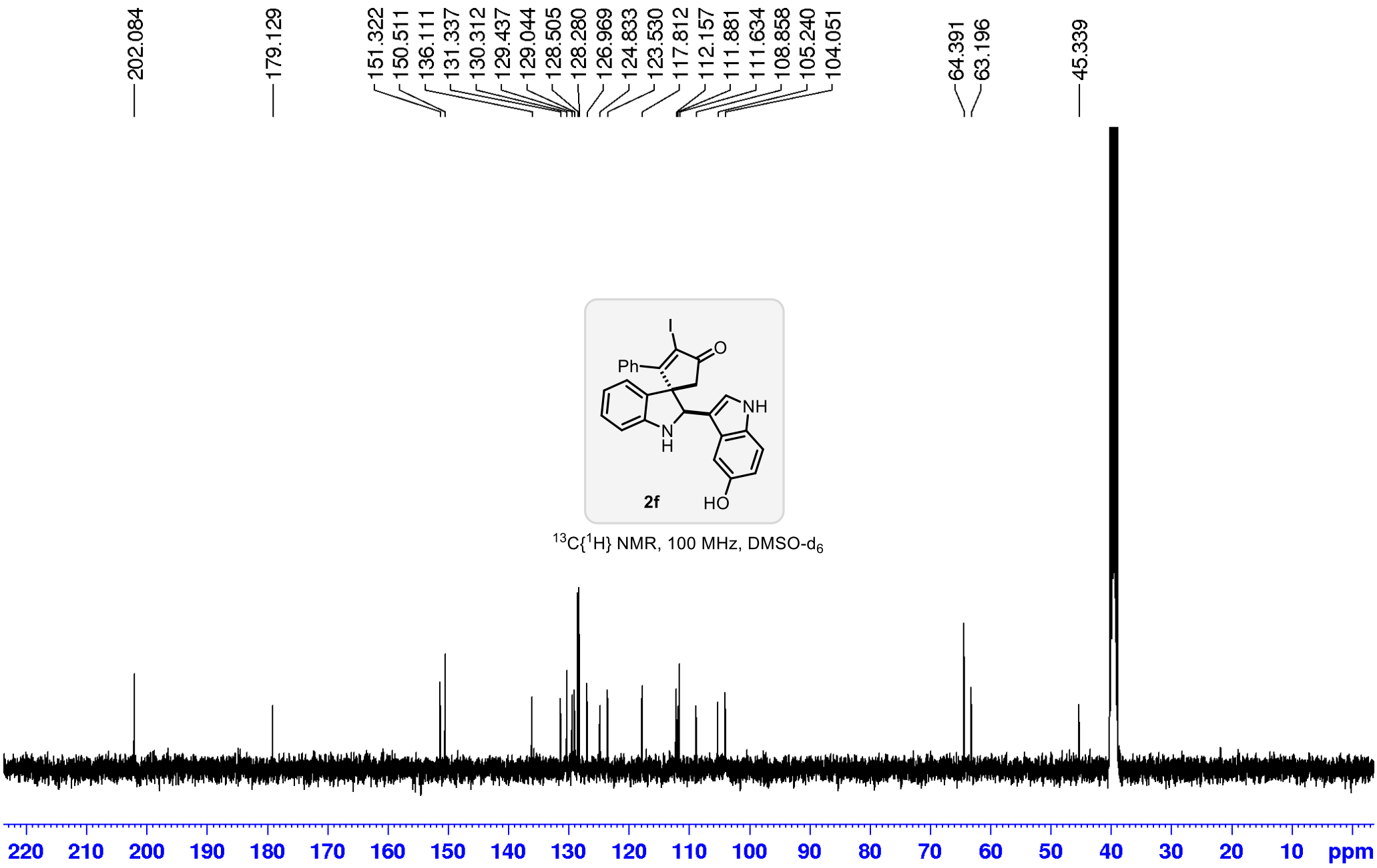
^{1}H NMR, 400 MHz, DMSO-d_6



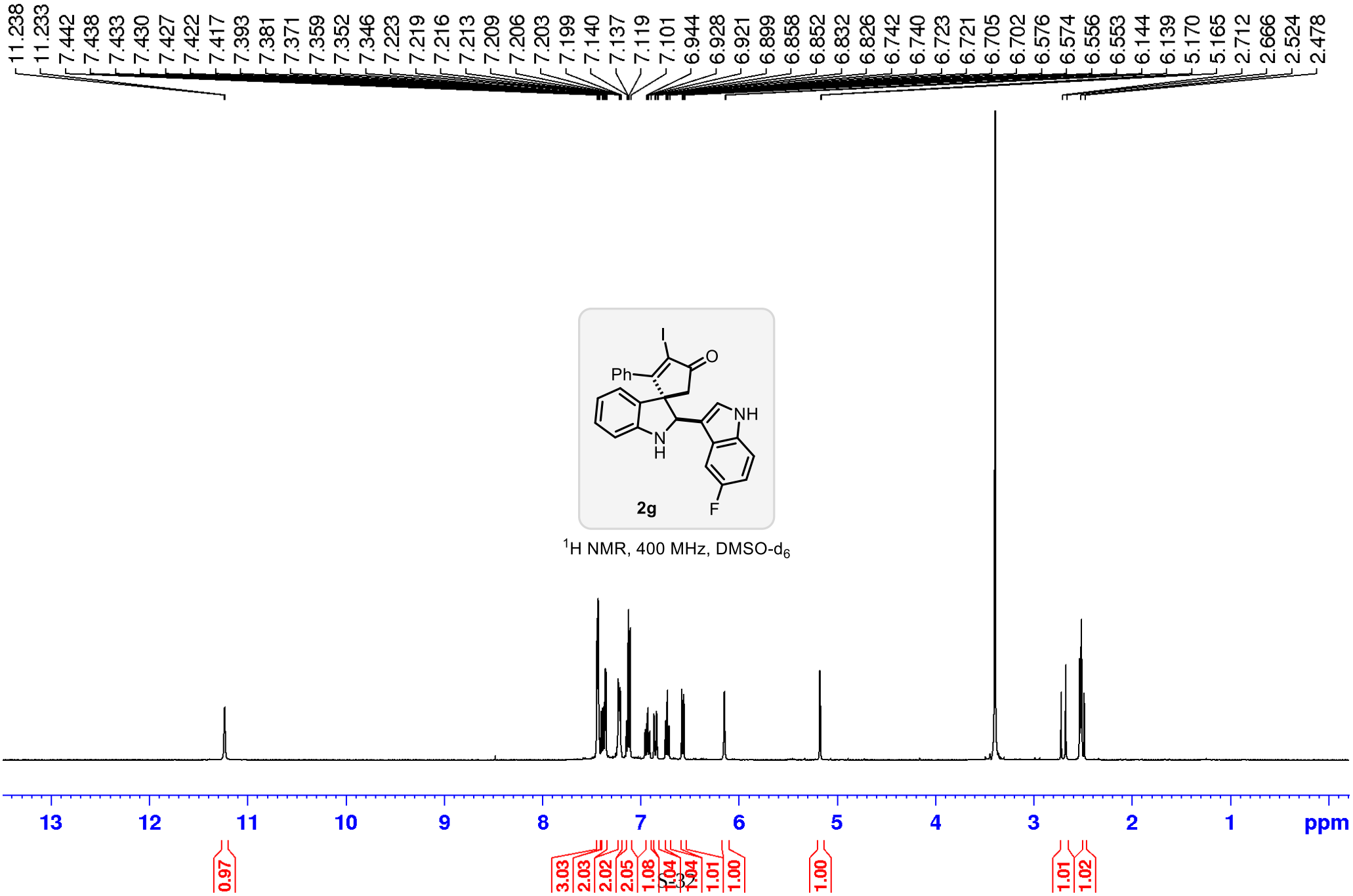
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



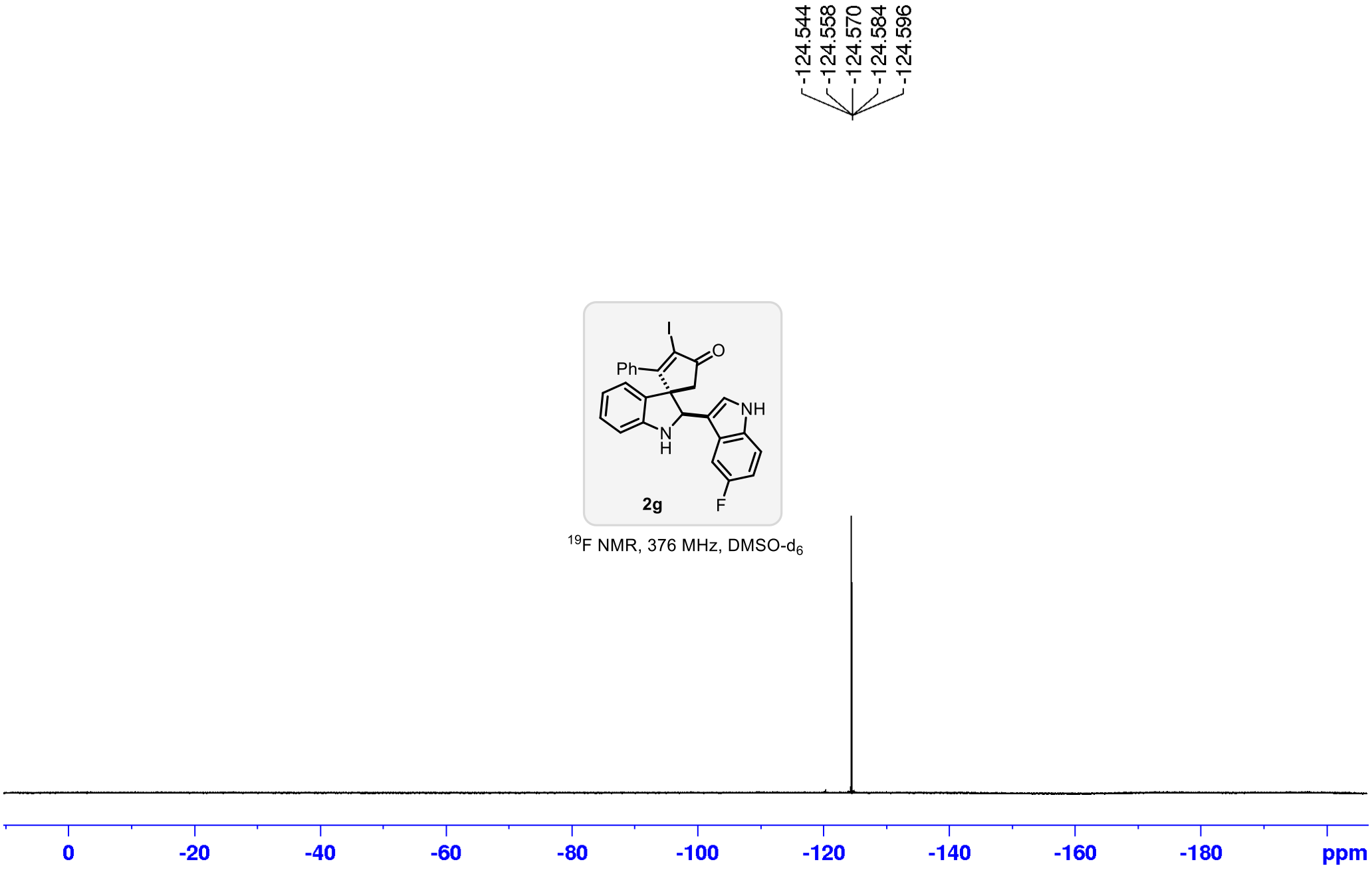
^{1}H NMR, 400 MHz, DMSO-d_6



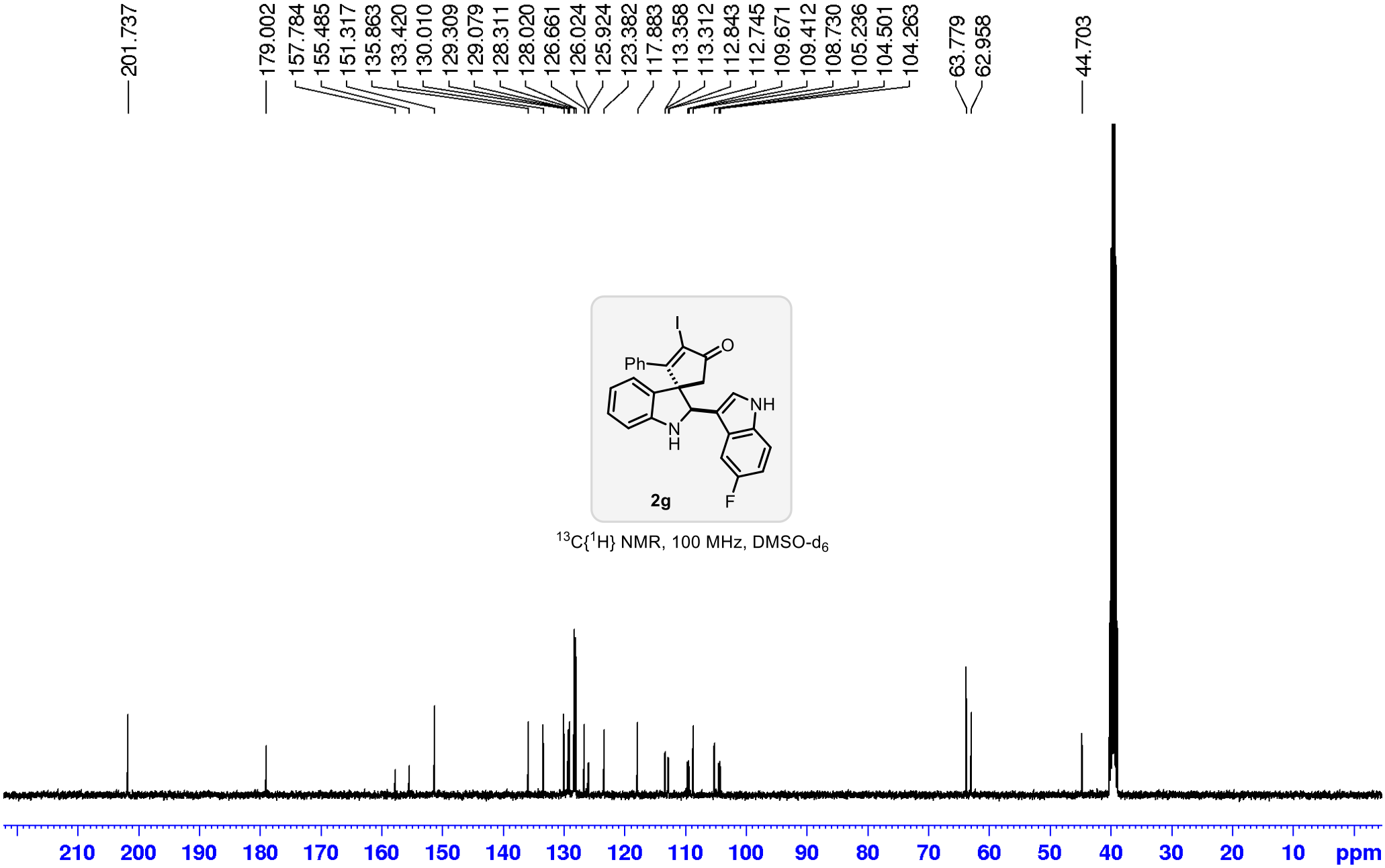
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



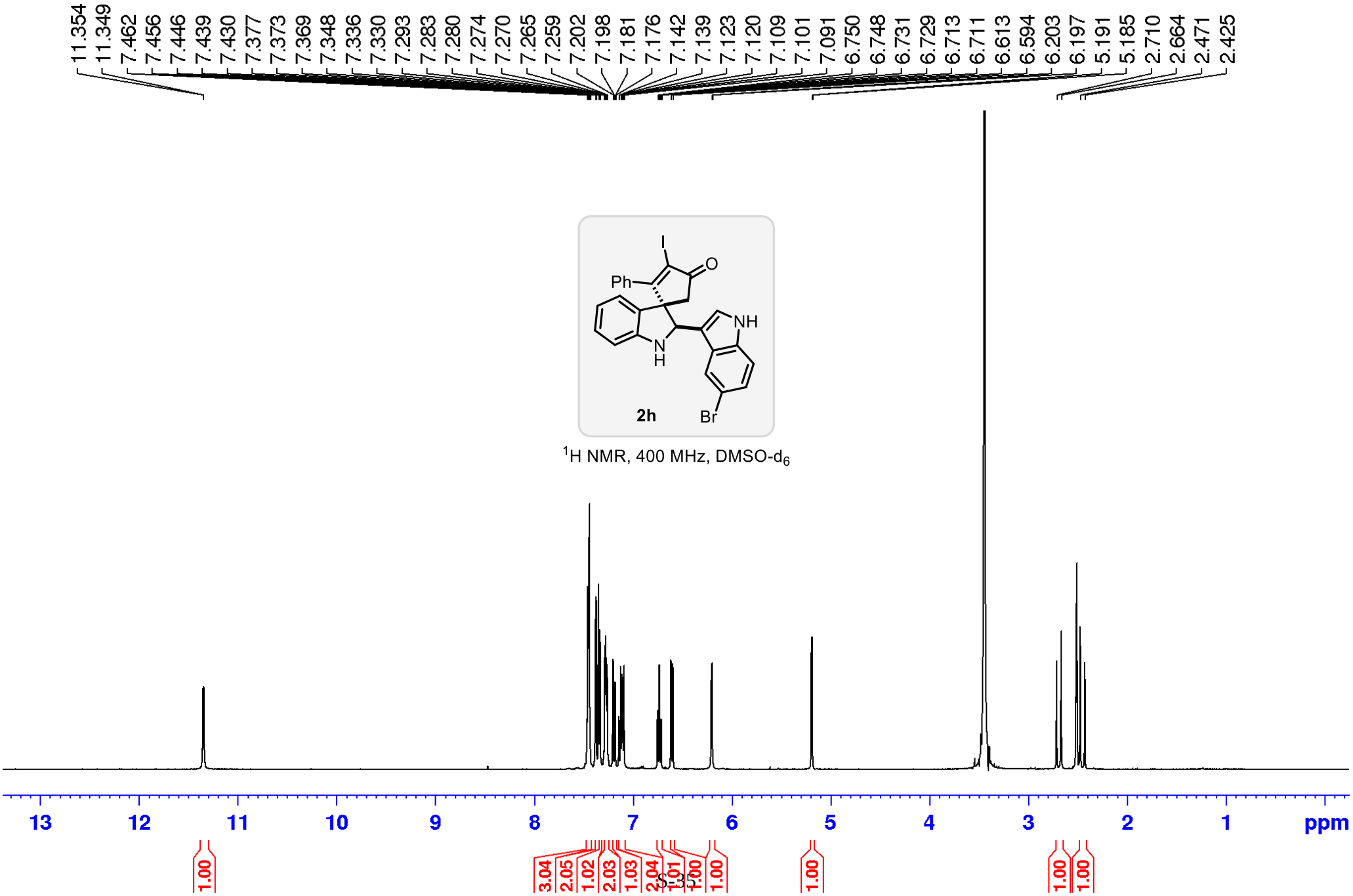
^{1}H NMR, 400 MHz, DMSO-d_6



^{19}F NMR, 376 MHz, DMSO-d_6



$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6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7.373
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7.293
7.283
7.280
7.274
7.270
7.265
7.259
7.202
7.198
7.181
7.176
7.142
7.139
7.123
7.120
7.109
7.101
7.091
6.750
6.748
6.731
6.729
6.713
6.711
6.613
6.594
6.203
6.197
5.191
5.185
2.710
2.664
2.471
2.425
I
O
Ph
NH
N
H
2h
Br
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.00
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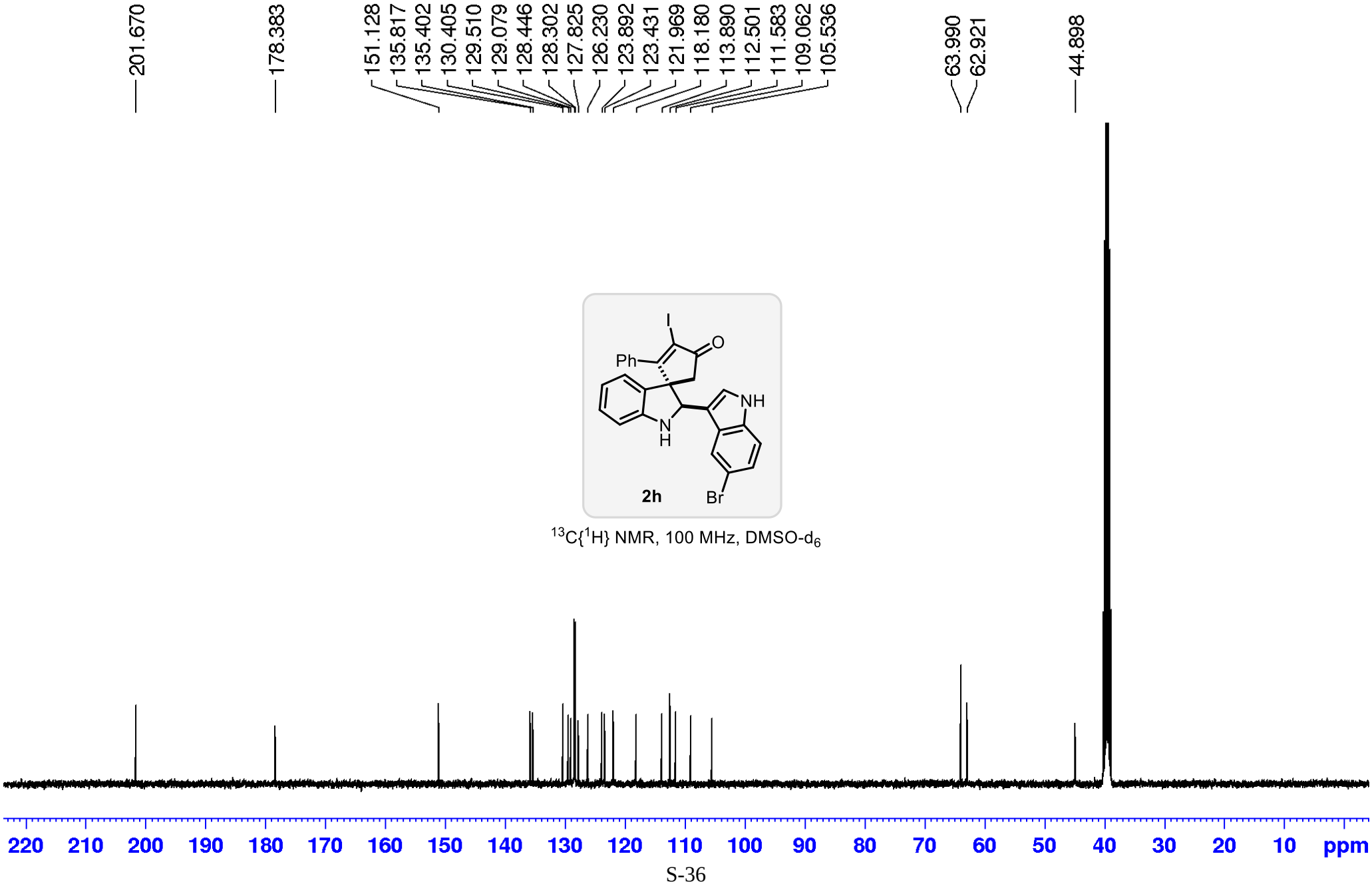
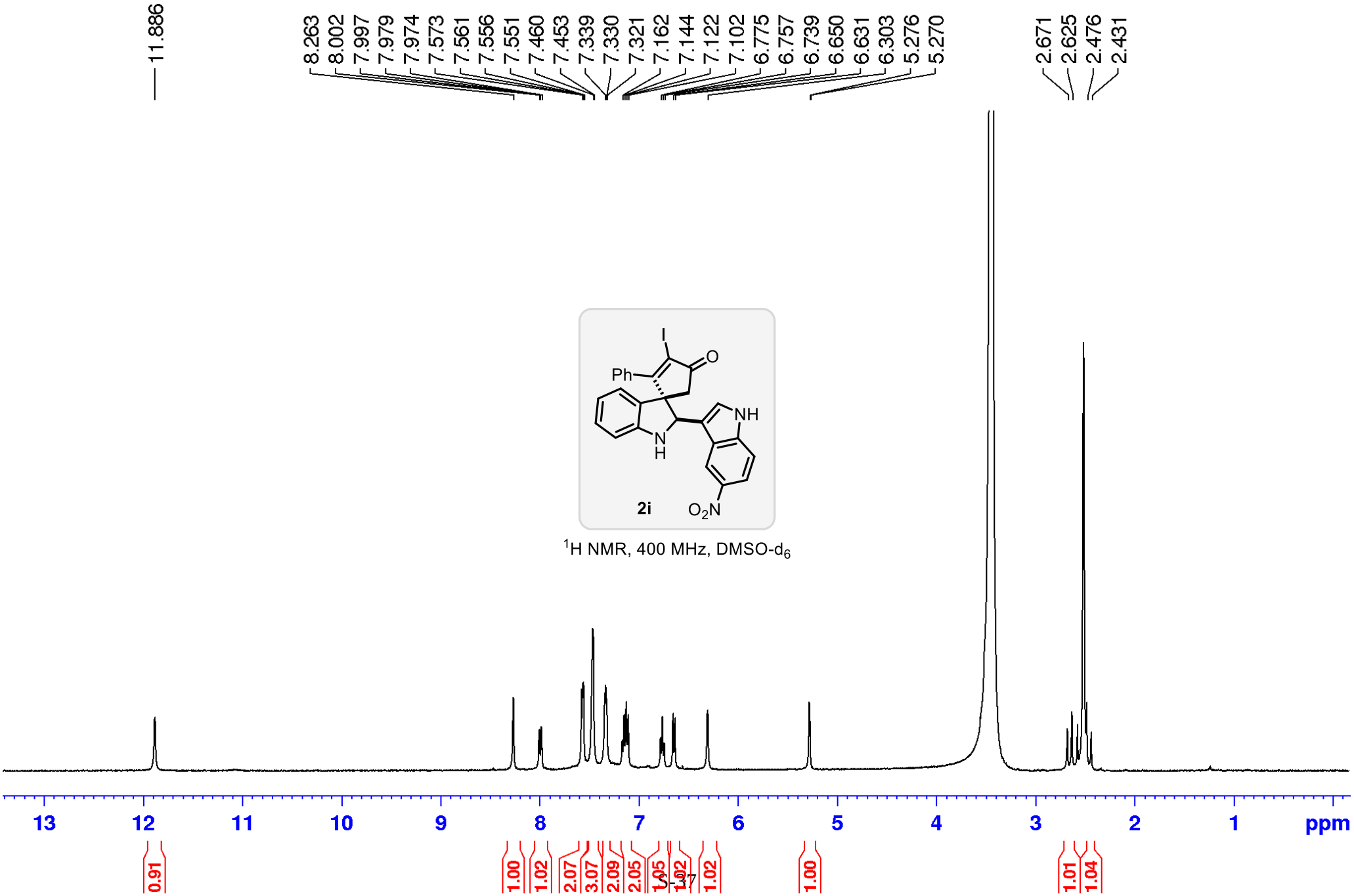
1.00



$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6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7.321
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6.757
6.739
6.650
6.631
6.303
5.276
5.270
2.671
2.625
2.476
2.431
I
O
Ph
NH
N
H
2i
O2N
1H NMR, 400 MHz, DMSO-d6
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12
11
10
9
8
7
6
5
4
3
2
1
ppm
0.91
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1.02
1.02
1.00
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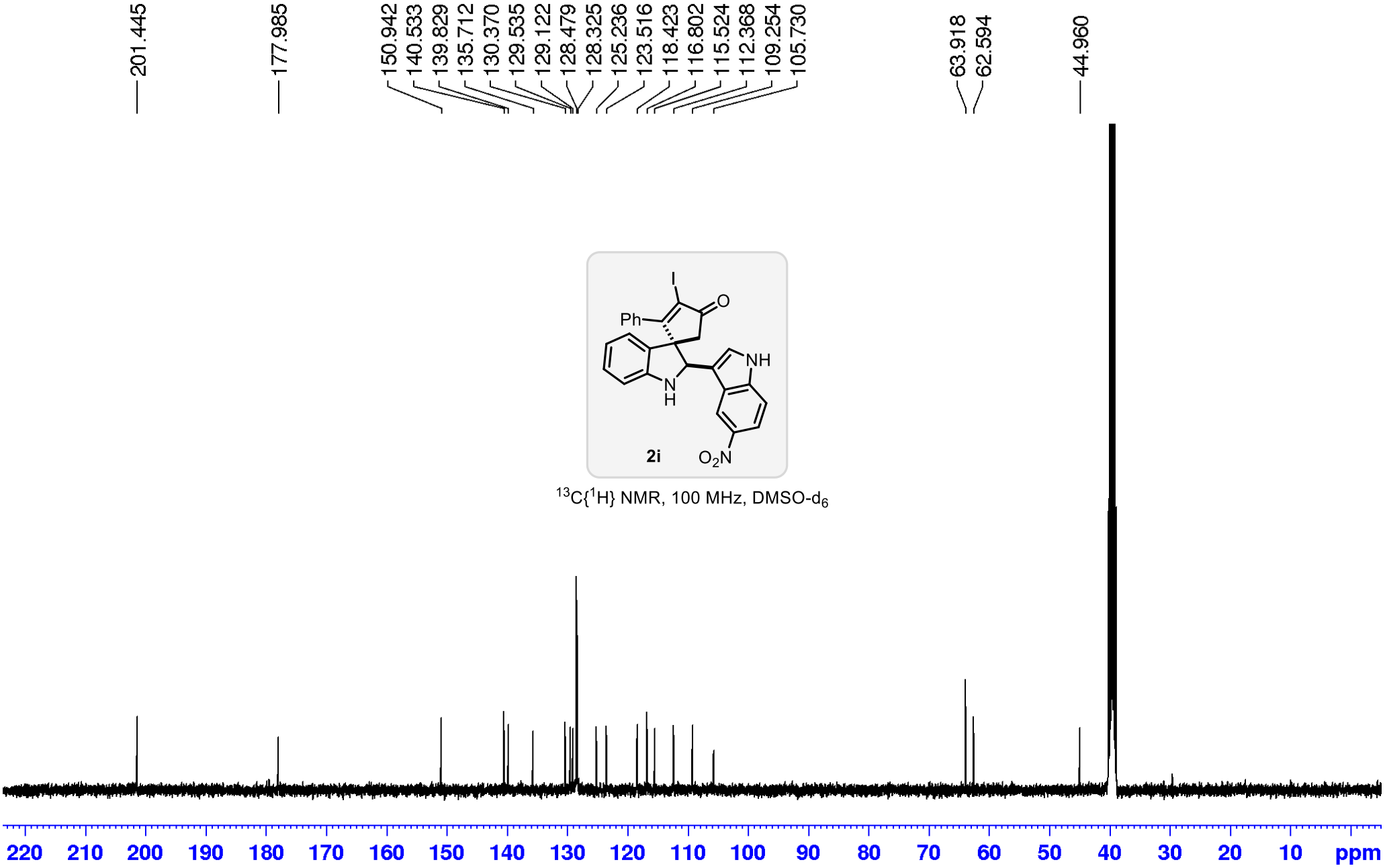
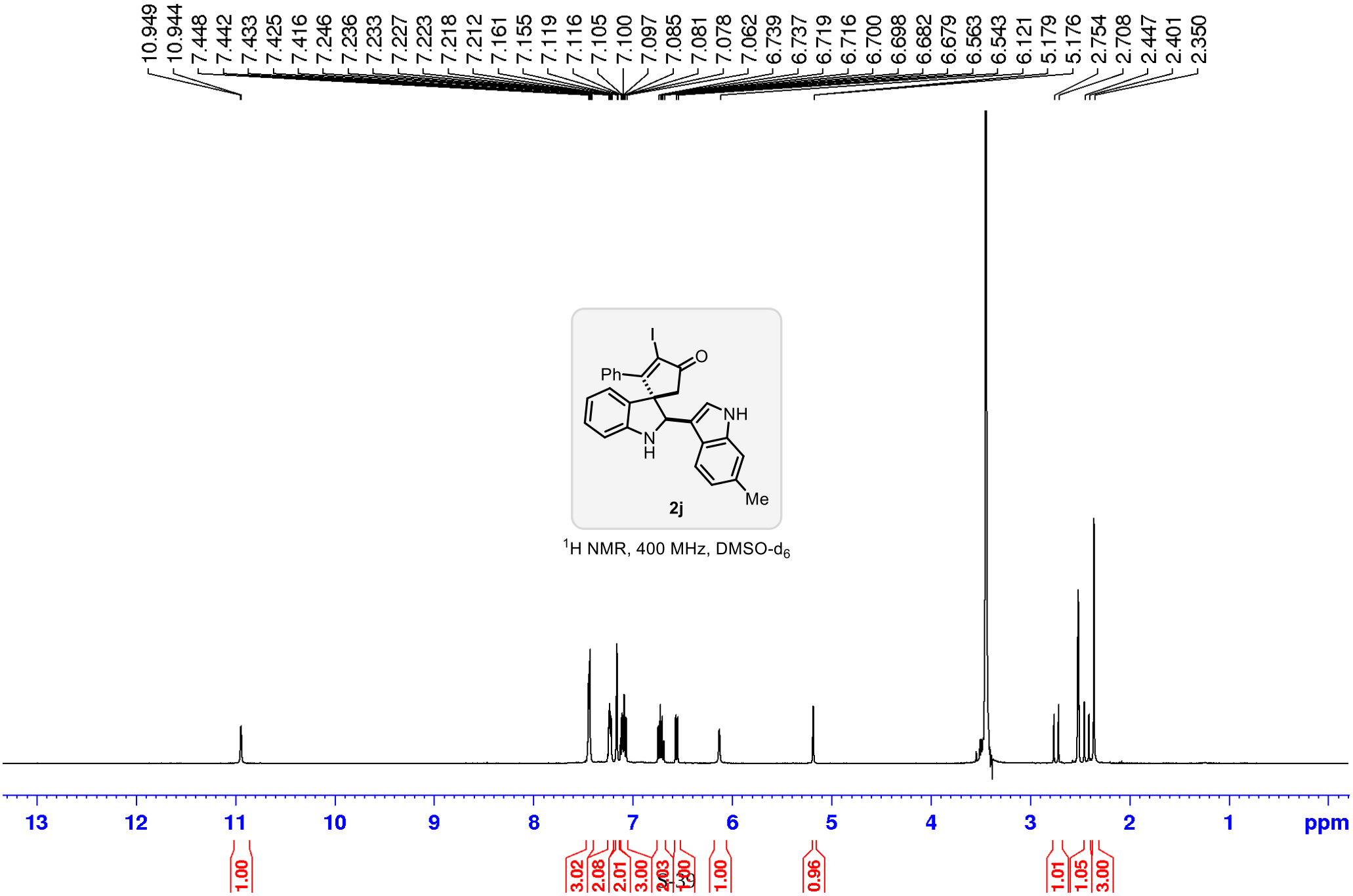
1.04



$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6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7.246
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7.212
7.161
7.155
7.119
7.116
7.105
7.100
7.097
7.085
7.081
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7.062
6.739
6.737
6.719
6.716
6.700
6.698
6.682
6.679
6.563
6.543
6.121
5.179
5.176
2.754
2.708
2.447
2.401
2.350
I
O
Ph
NH
N
H
Me
2j
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
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ppm
1.00
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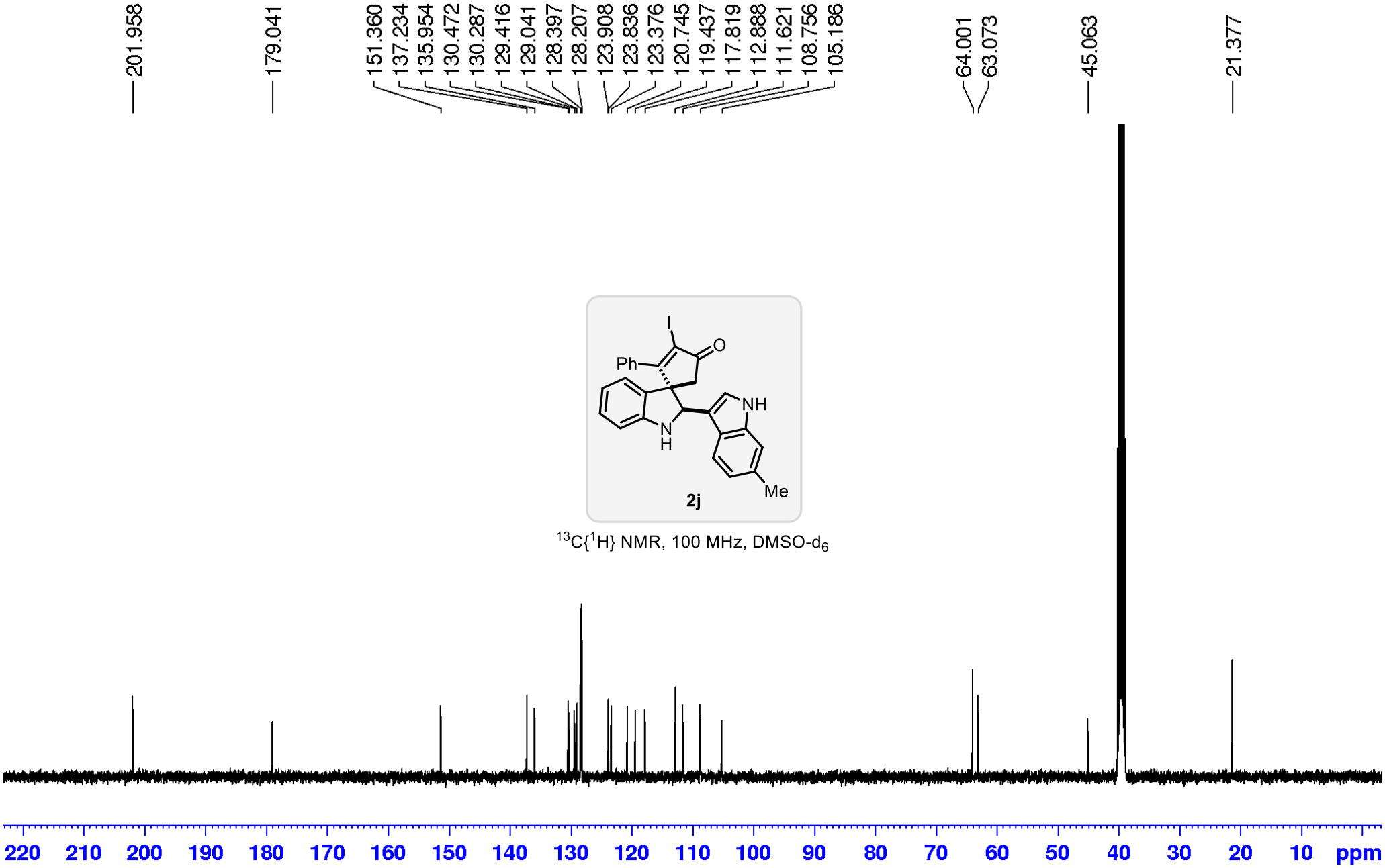
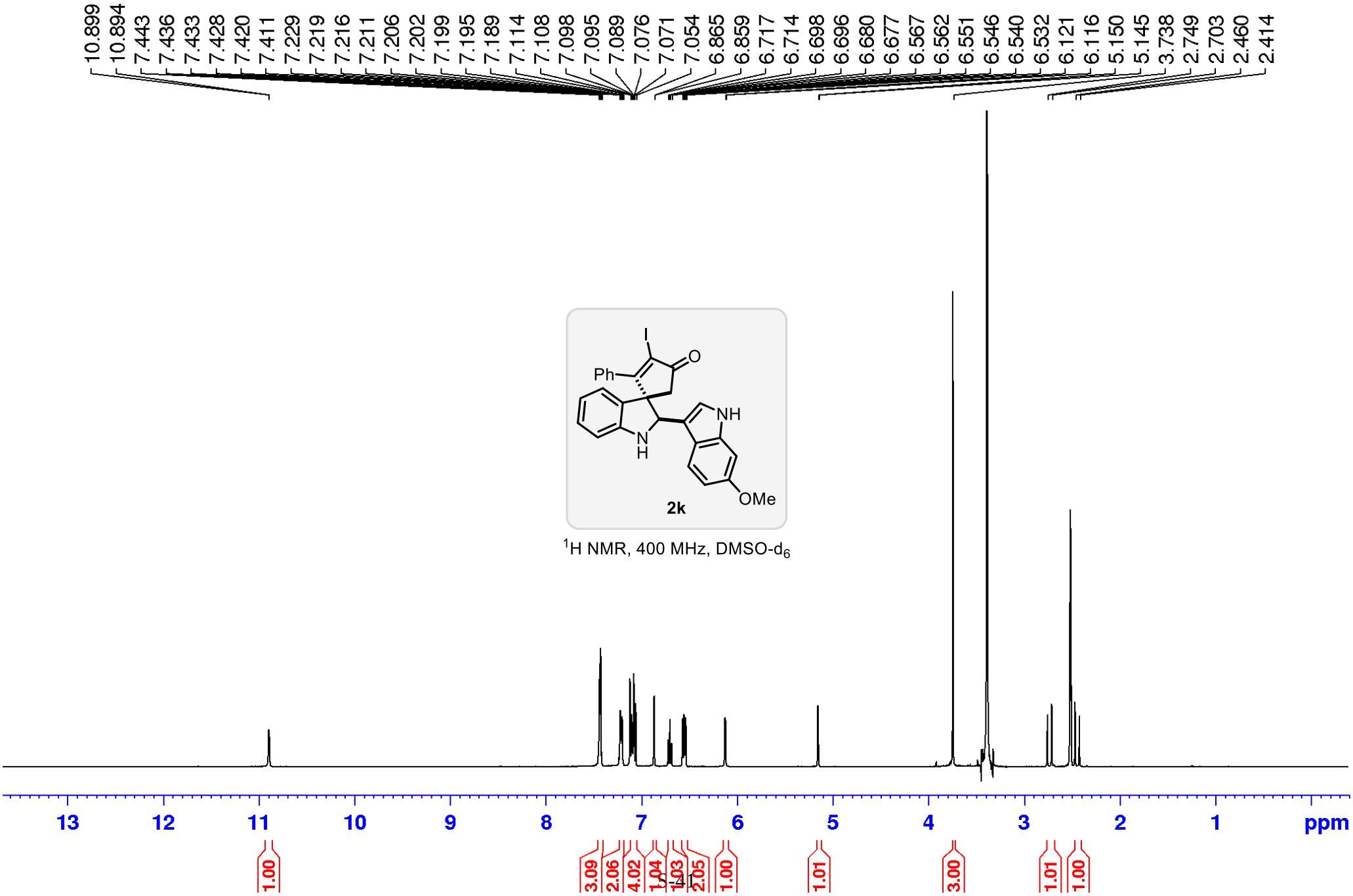
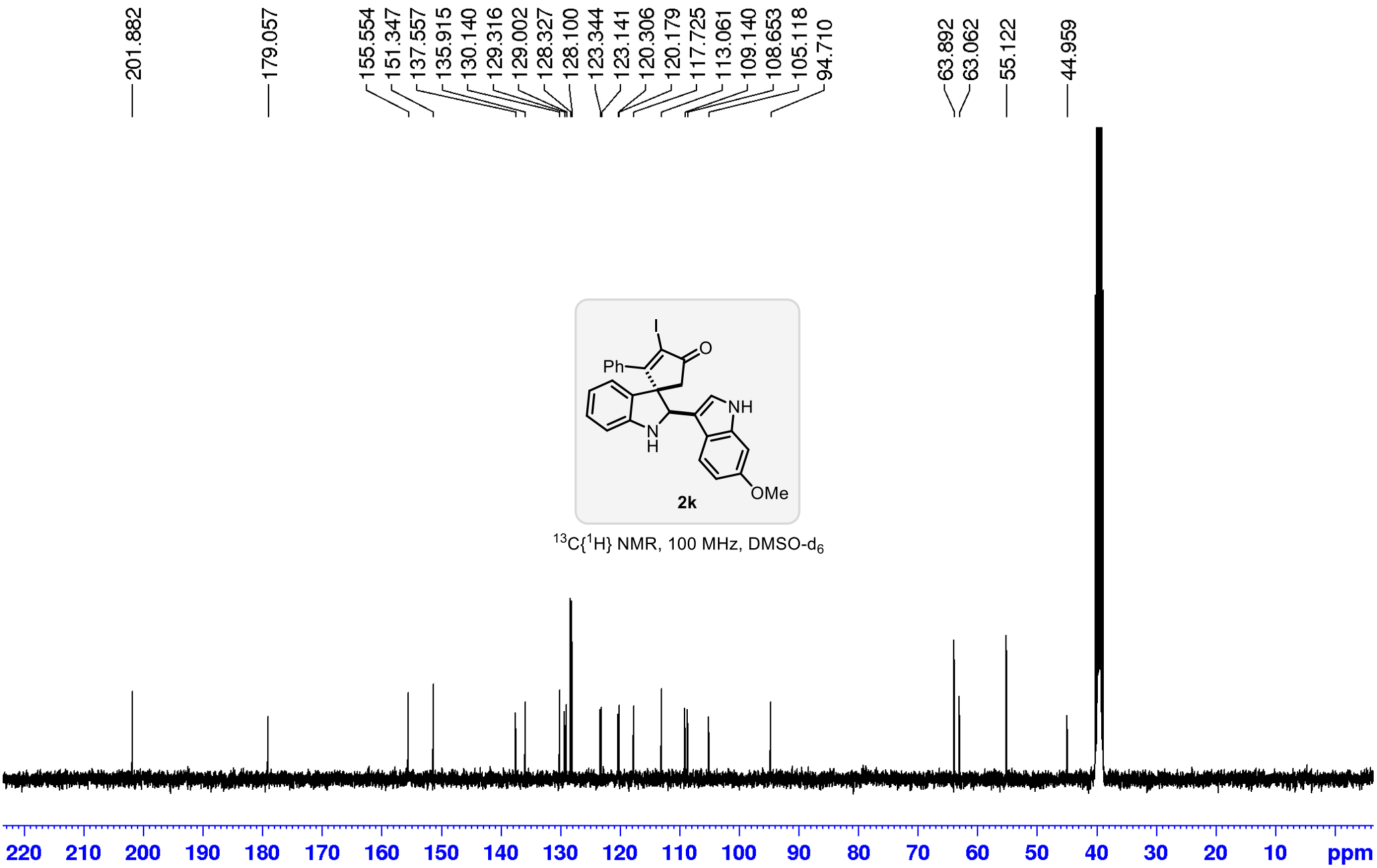
2.01
3.00
2.03
1.00
1.00
0.96
1.01
1.05
3.00



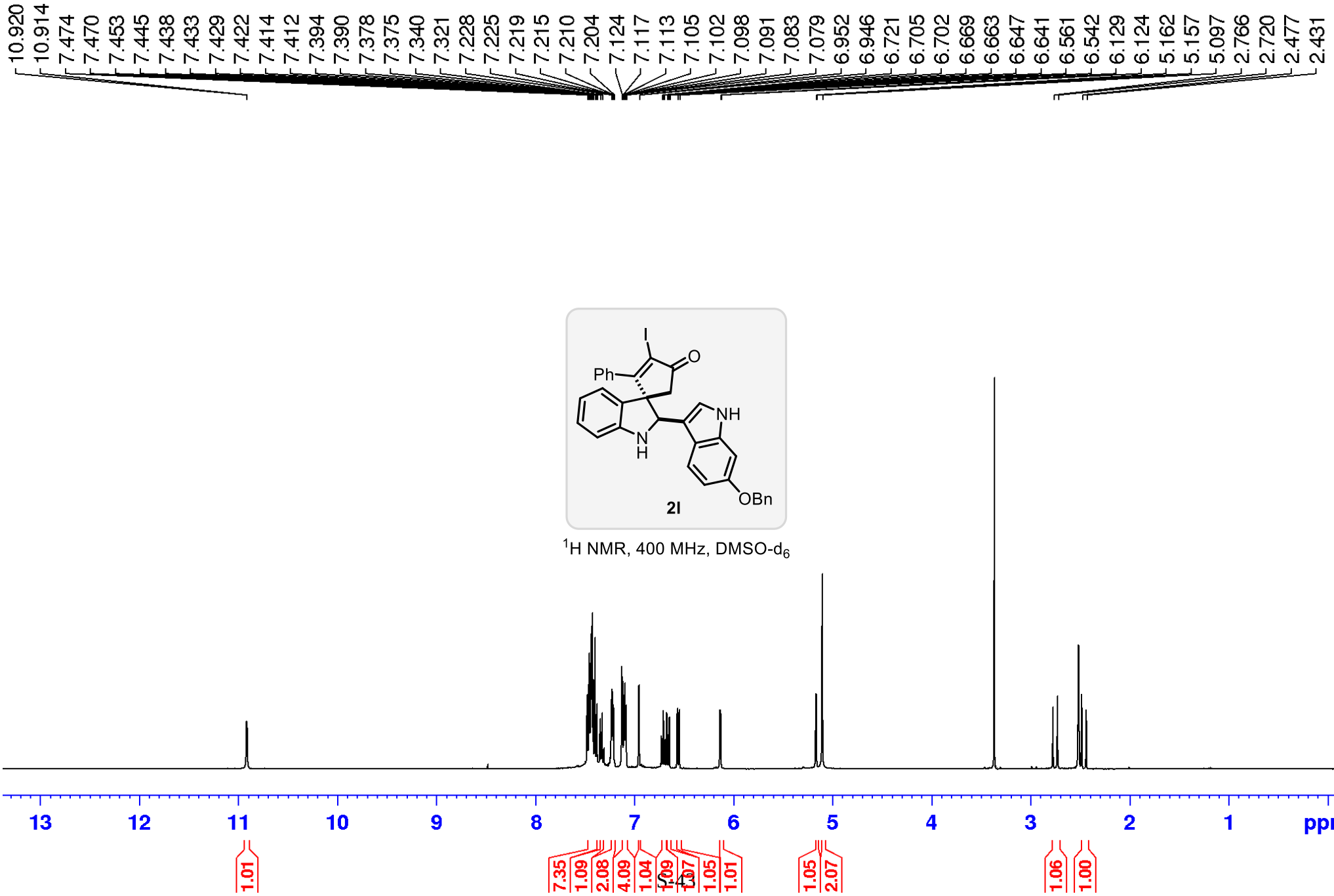
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

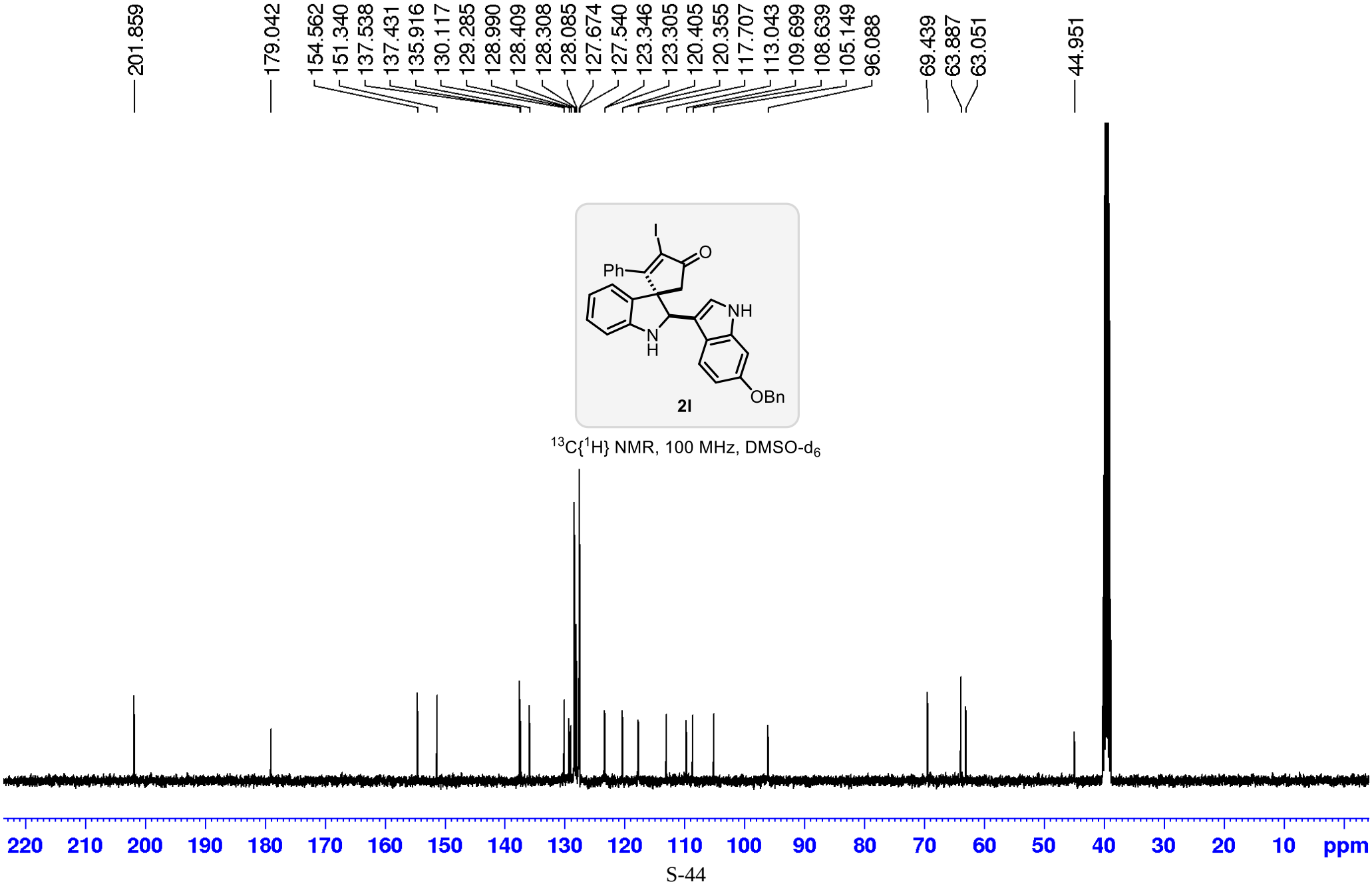


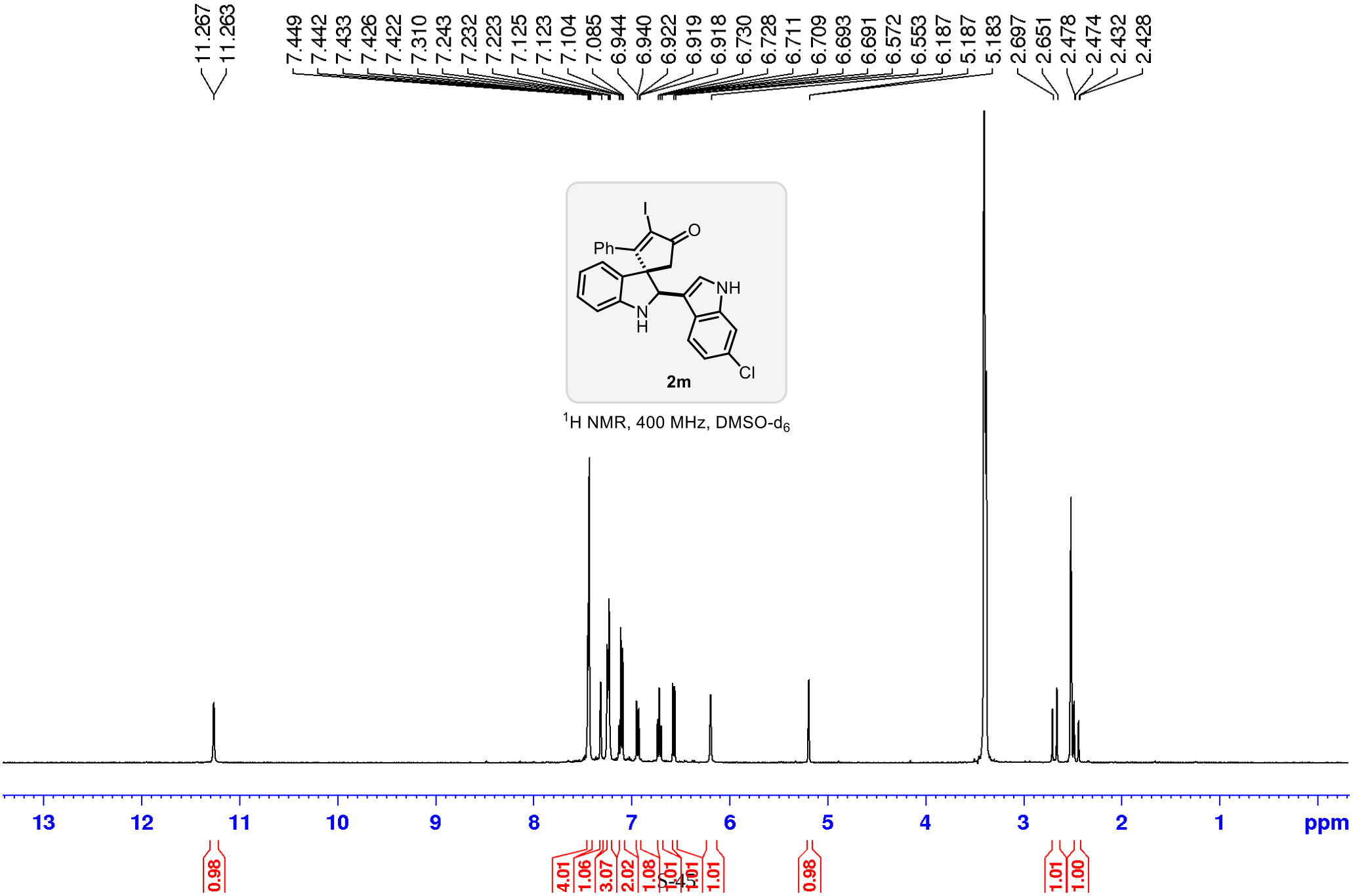
^{1}H NMR, 400 MHz, DMSO-d_6

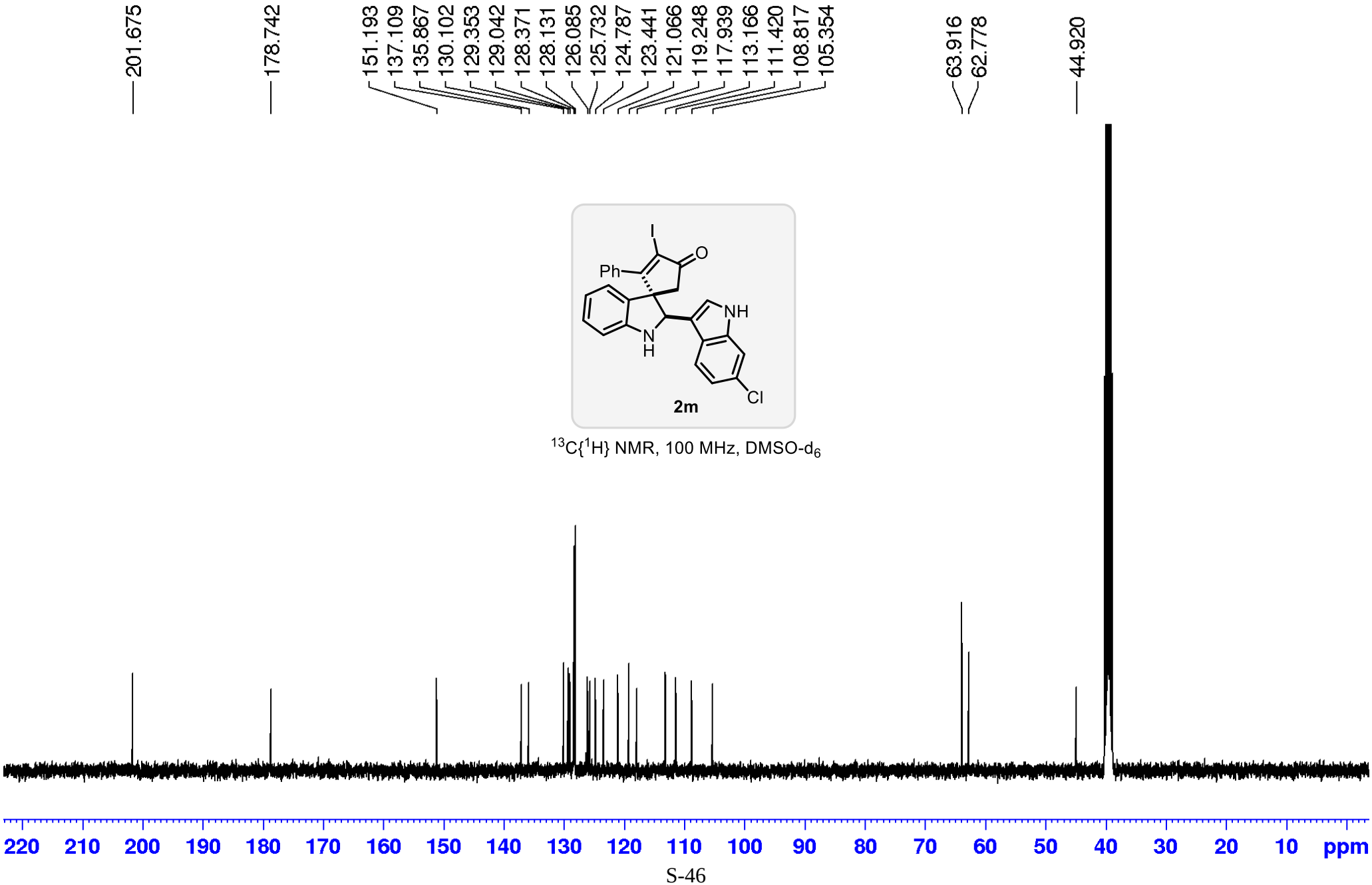


$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

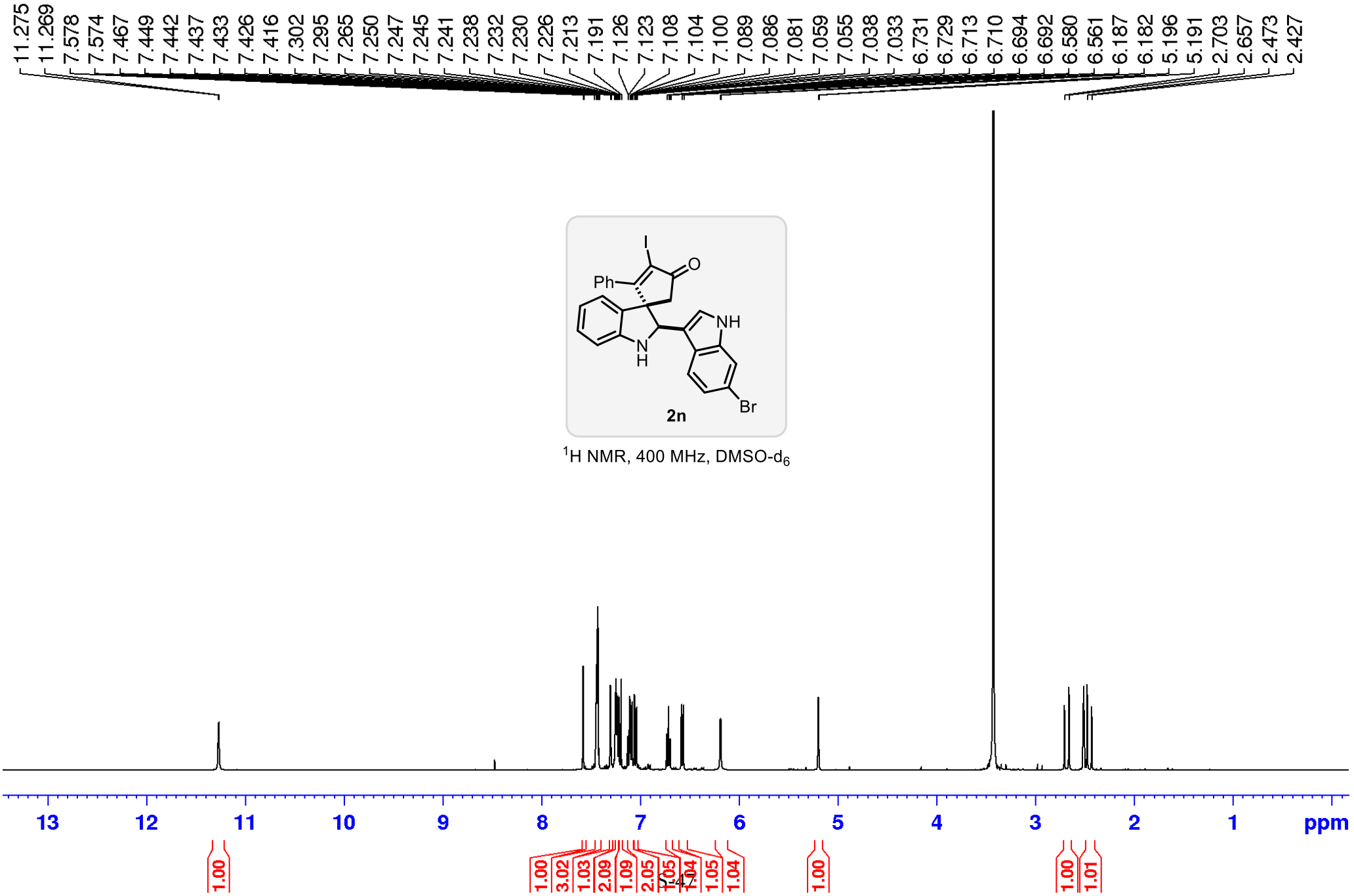

10.920
10.914
7.474
7.470
7.453
7.445
7.438
7.433
7.429
7.422
7.414
7.412
7.394
7.390
7.378
7.375
7.340
7.321
7.228
7.225
7.219
7.215
7.210
7.204
7.124
7.117
7.113
7.105
7.102
7.098
7.091
7.083
7.079
6.952
6.946
6.721
6.705
6.702
6.669
6.663
6.647
6.641
6.561
6.542
6.129
6.124
5.162
5.157
5.097
2.766
2.720
2.477
2.431
I
O
Ph
NH
N
H
OBn
2l
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.01
7.35
1.09
2.08
4.09
1.04
1.09
1.07
1.05
1.01
1.05
2.07
1.06
1.00


201.859
179.042
154.562
151.340
137.538
137.431
135.916
130.117
129.285
128.990
128.409
128.308
128.085
127.674
127.540
123.346
123.305
120.405
120.355
117.707
113.043
109.699
108.639
105.149
96.088
69.439
63.887
63.051
44.951
I
O
Ph
NH
N
H
OBn
2l
13C{1H} NMR, 100 MHz, DMSO-d6
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm

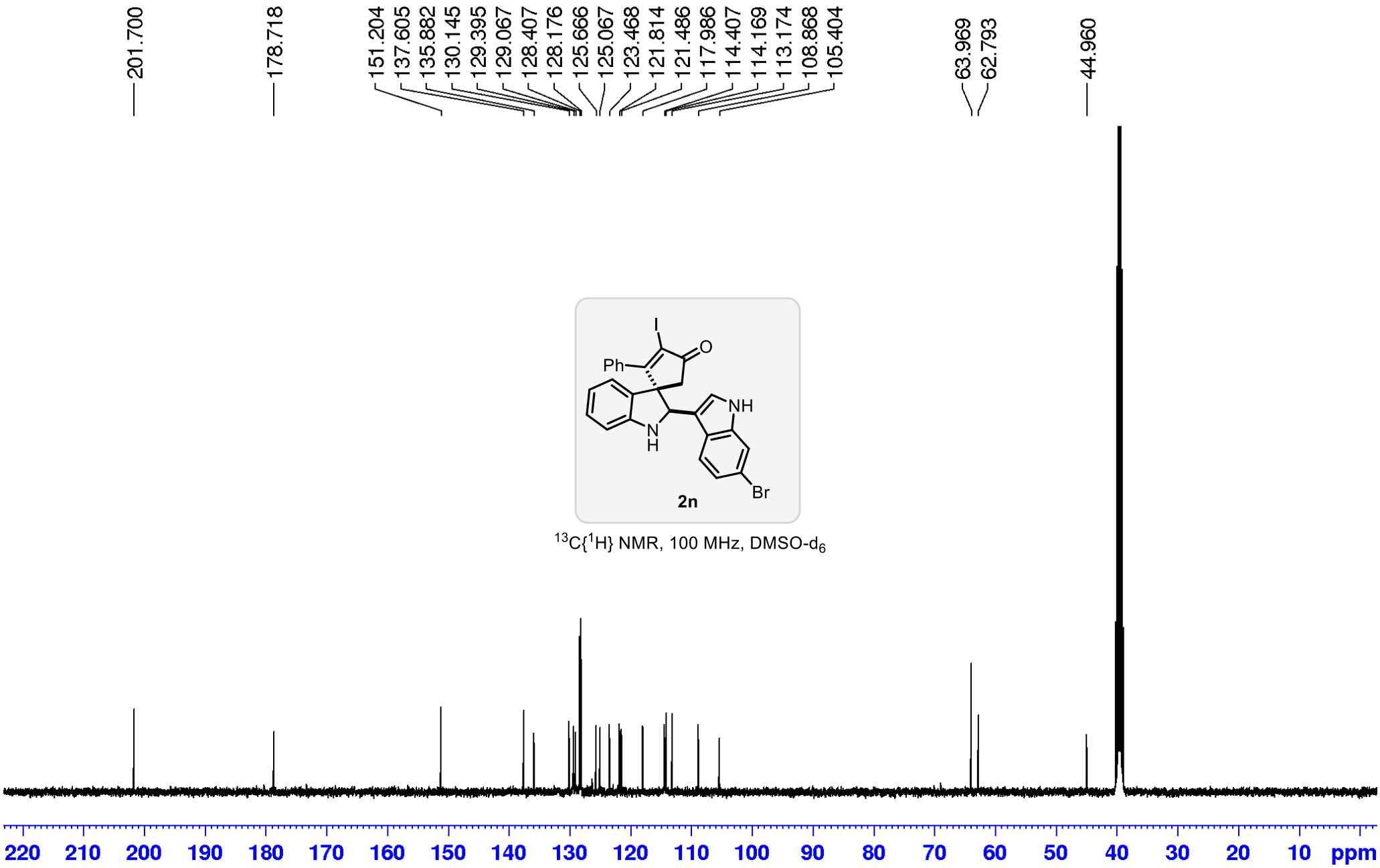

11.267
11.263
7.449
7.442
7.433
7.426
7.422
7.310
7.243
7.232
7.223
7.125
7.123
7.104
7.085
6.944
6.940
6.922
6.919
6.918
6.730
6.728
6.711
6.709
6.693
6.691
6.572
6.553
6.187
5.187
5.183
2.697
2.651
2.478
2.474
2.432
2.428
I
O
Ph
NH
N
H
Cl
2m
^{1}H NMR, 400 MHz, DMSO-d$_6$
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
0.98
4.01
1.06
3.07
2.02
1.08
1.01
1.01
1.01
0.98
1.01
1.00



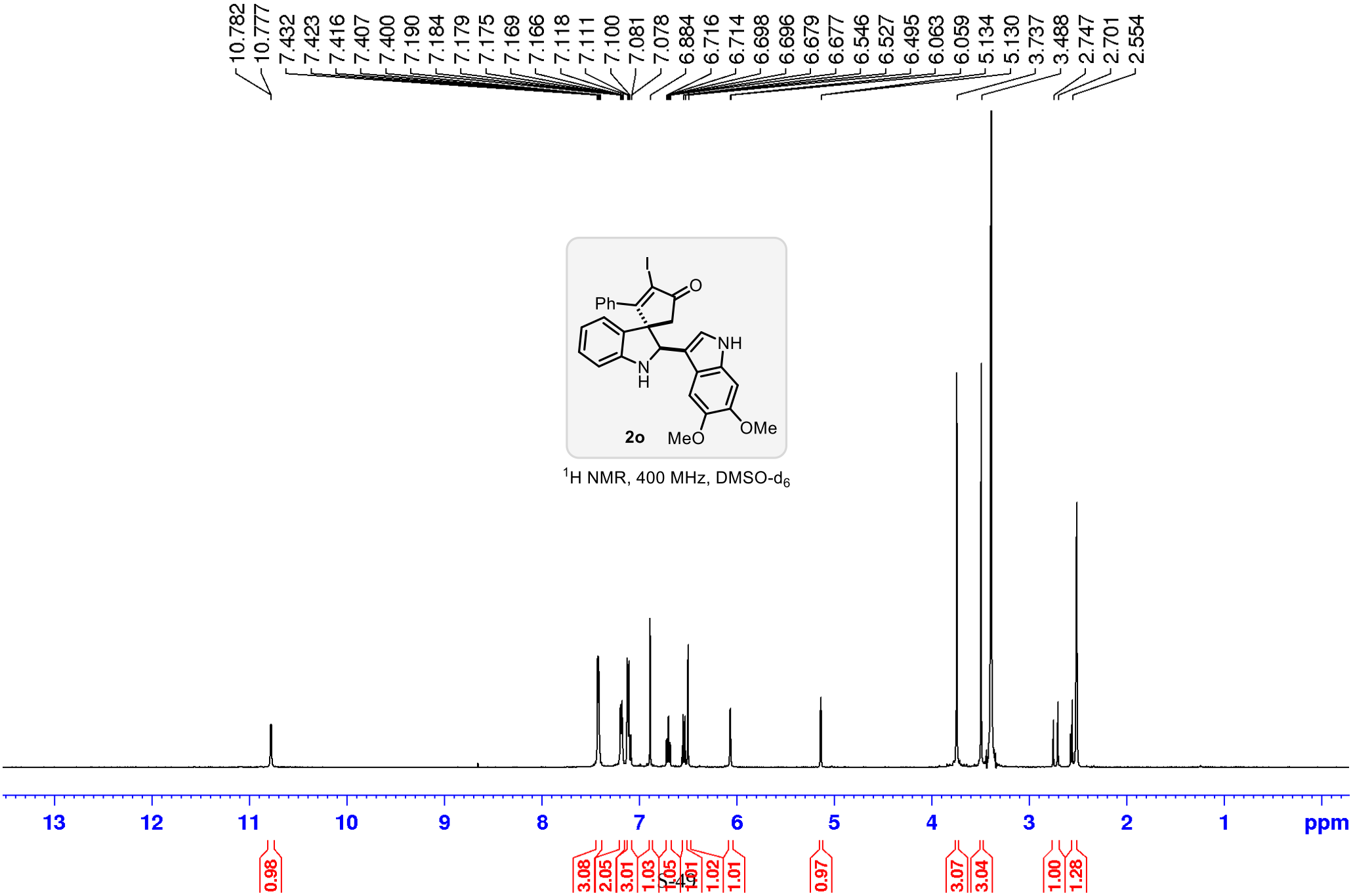
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



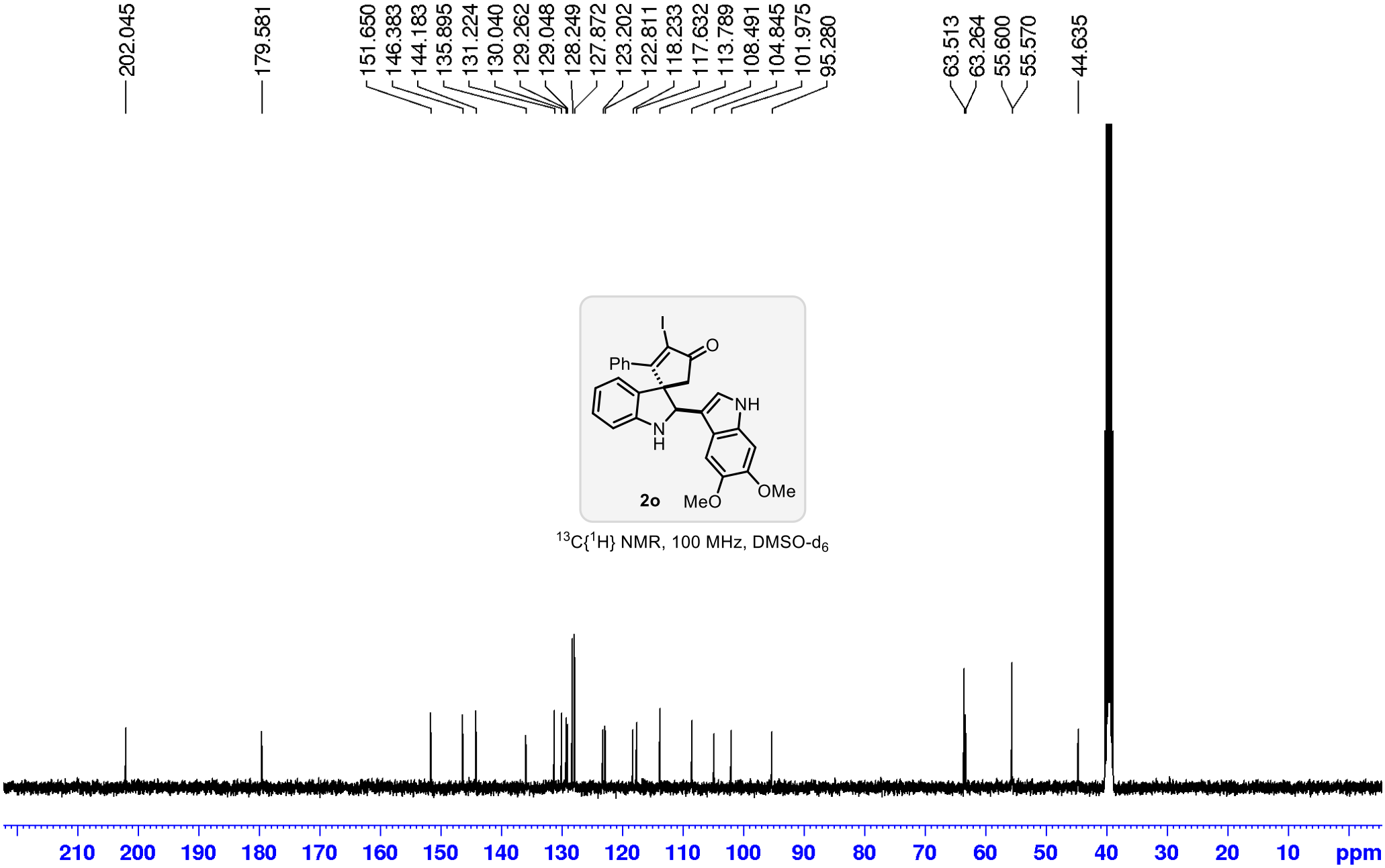
^{1}H NMR, 400 MHz, DMSO-d_6



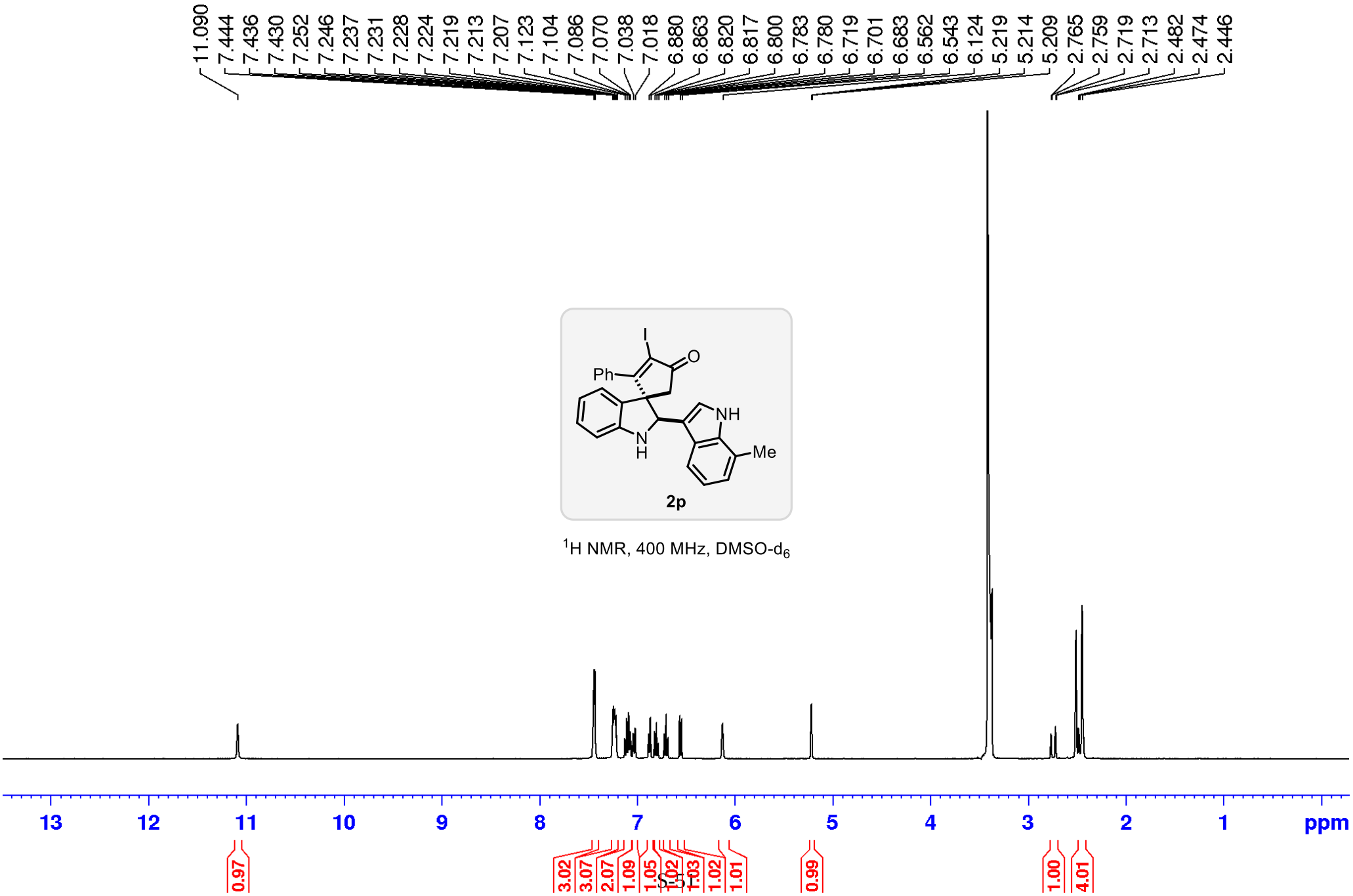
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



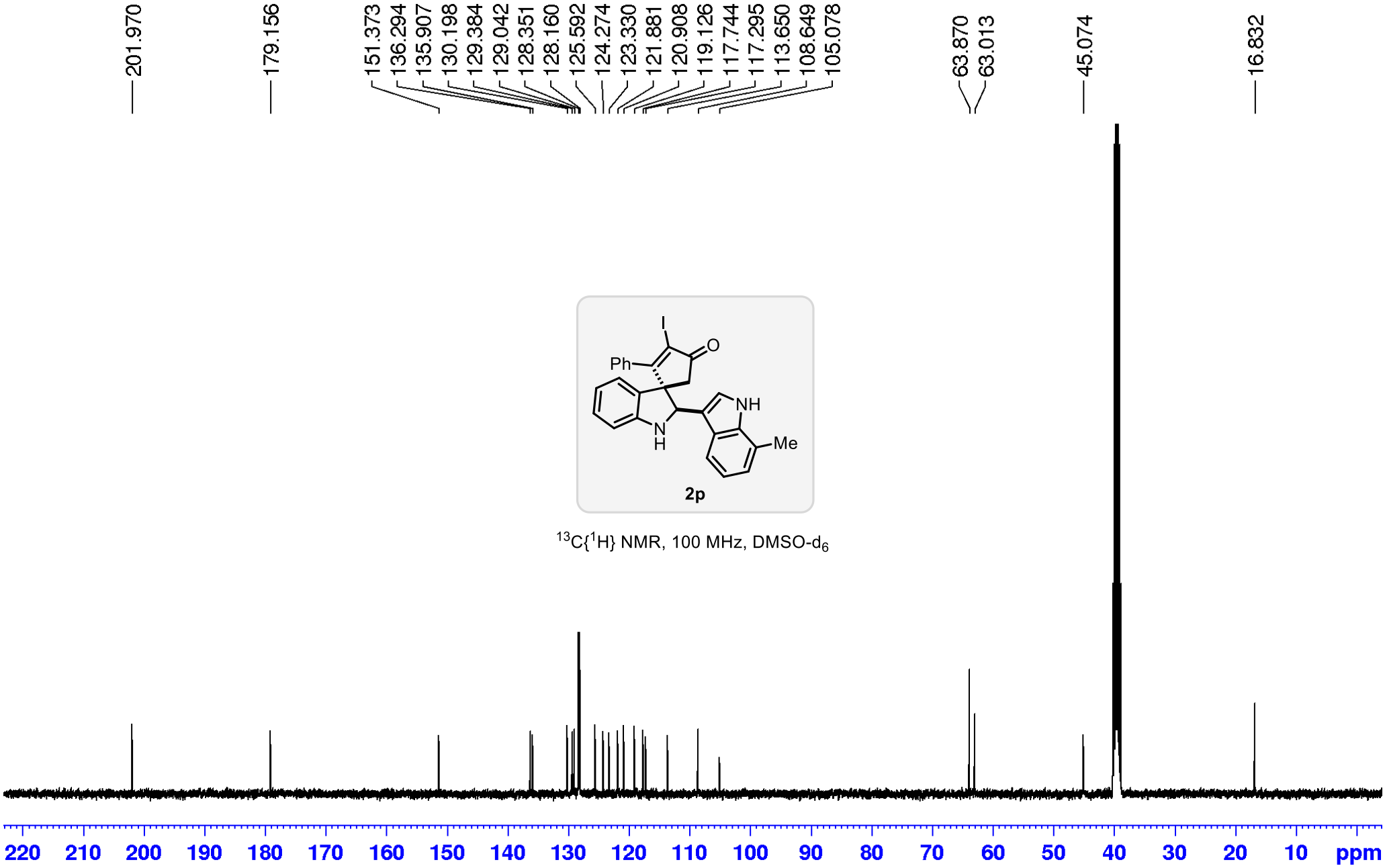
^{1}H NMR, 400 MHz, DMSO-d_6



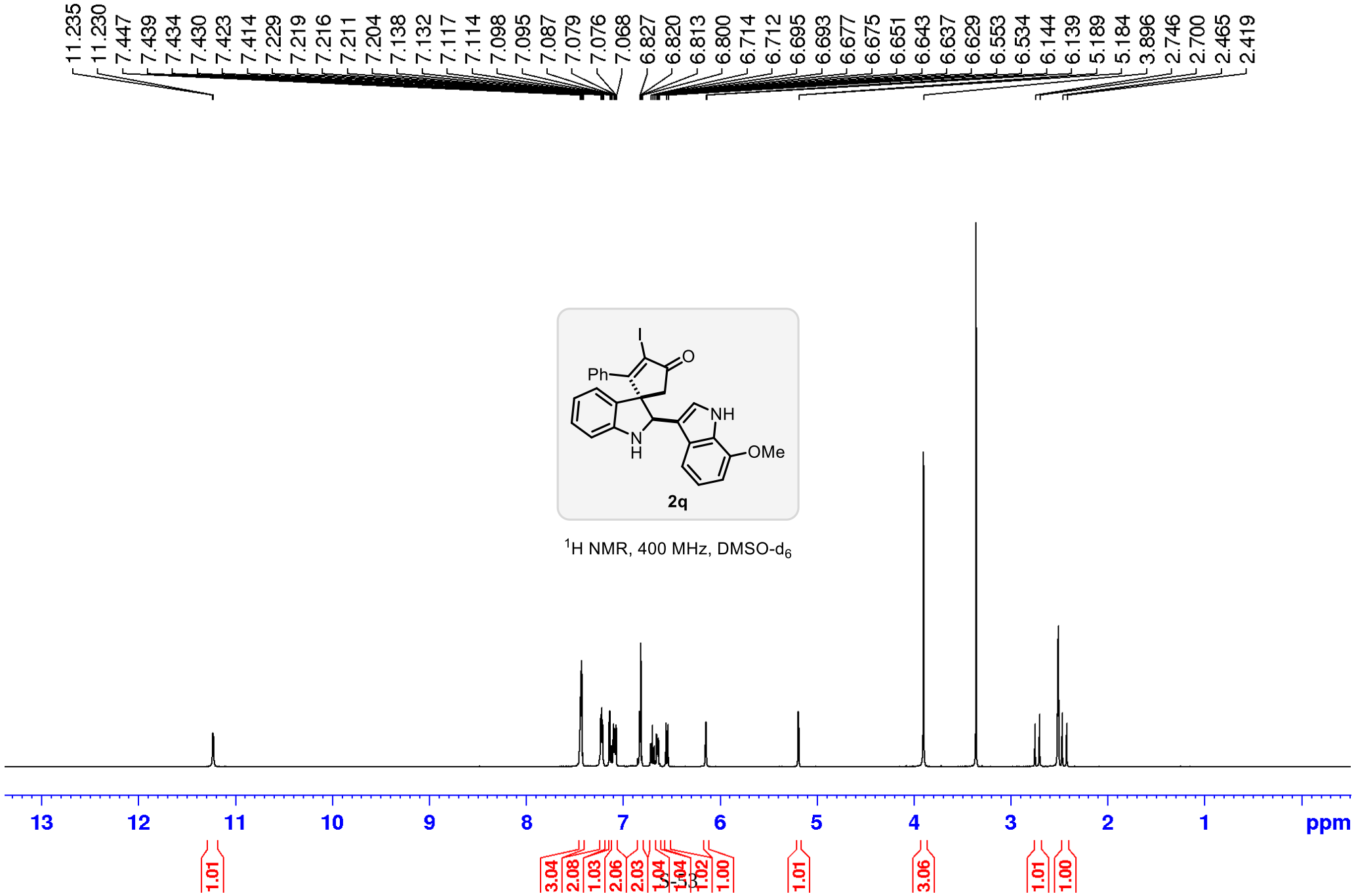
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

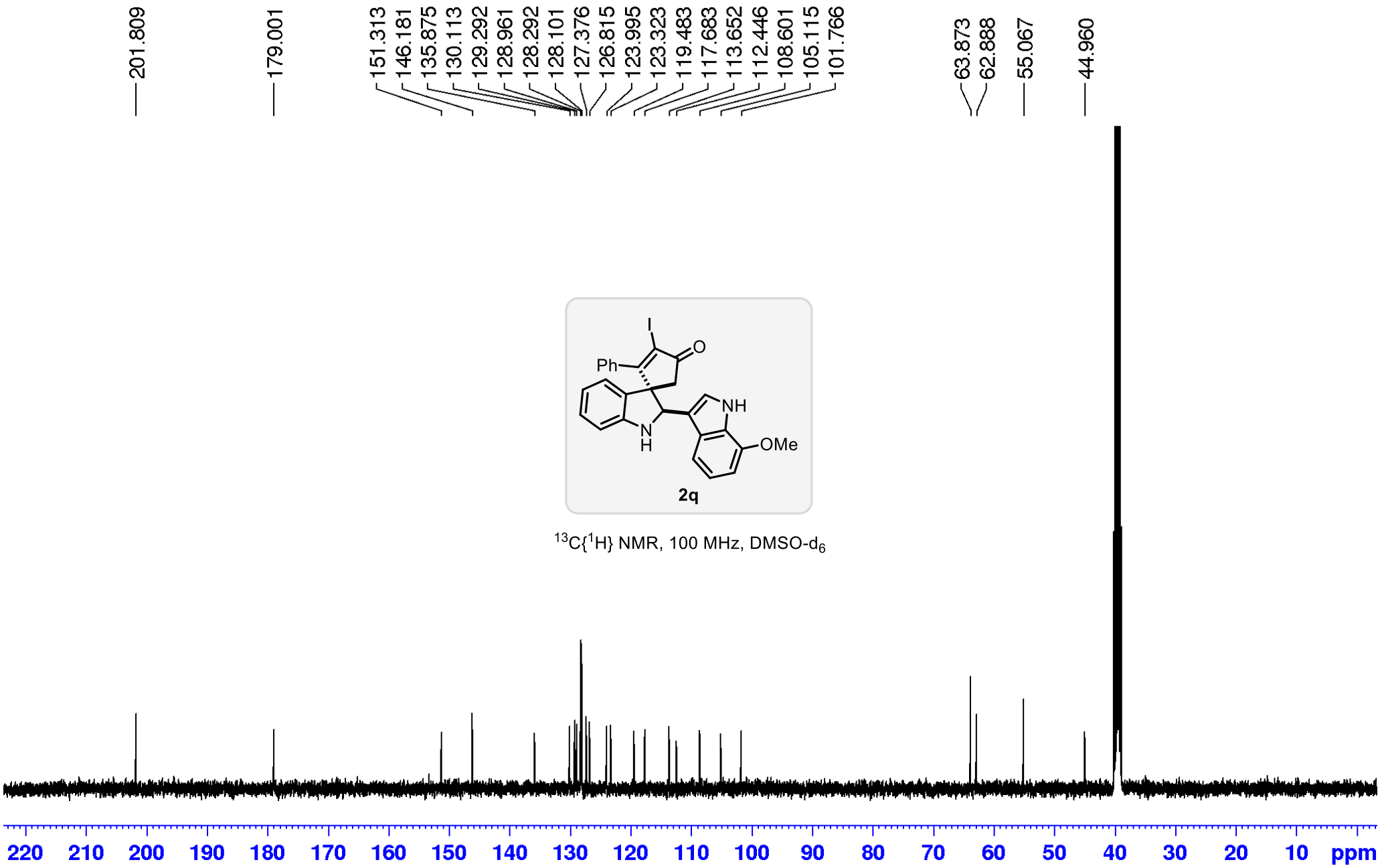


^{1}H NMR, 400 MHz, DMSO-d_6

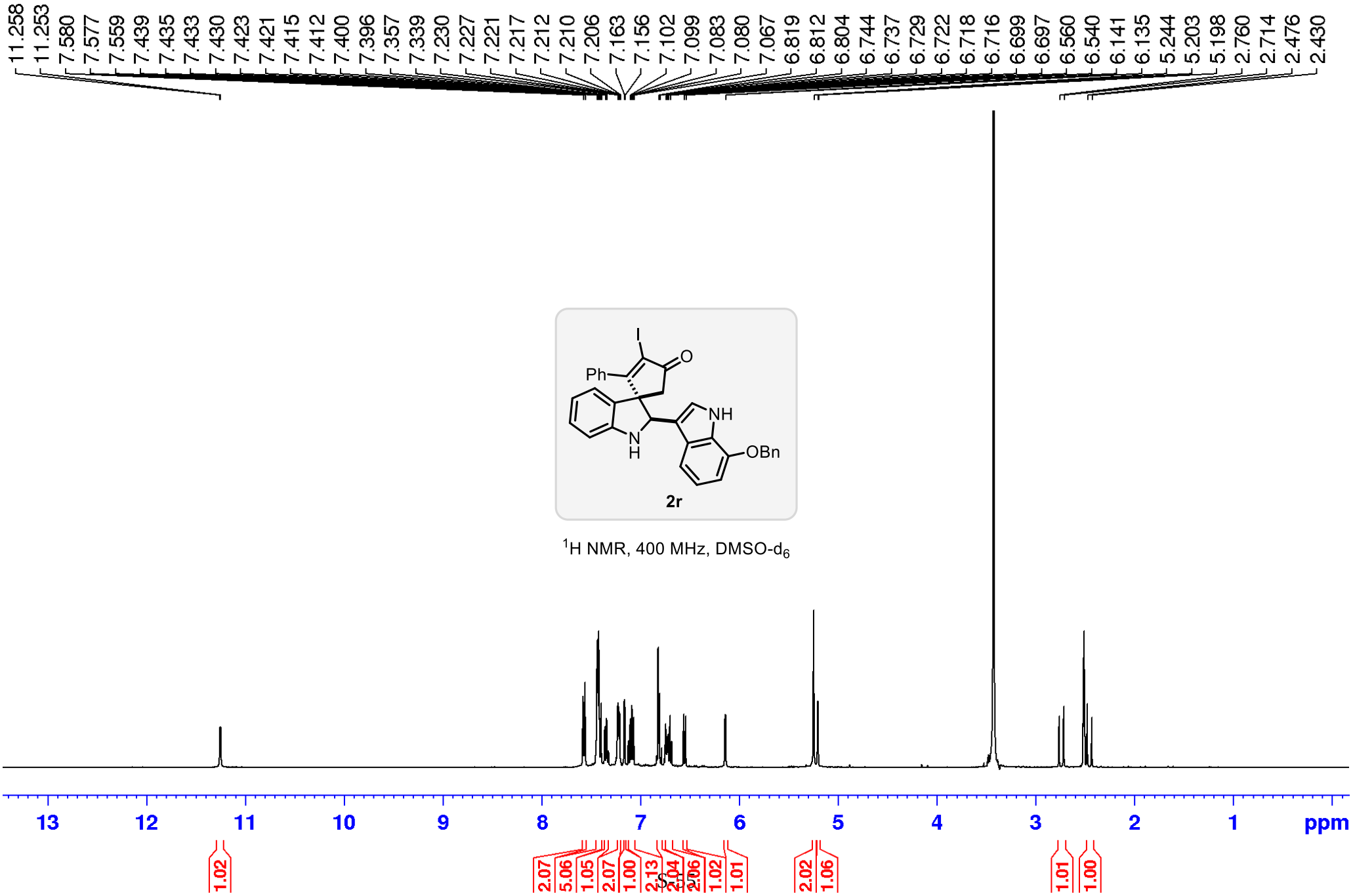


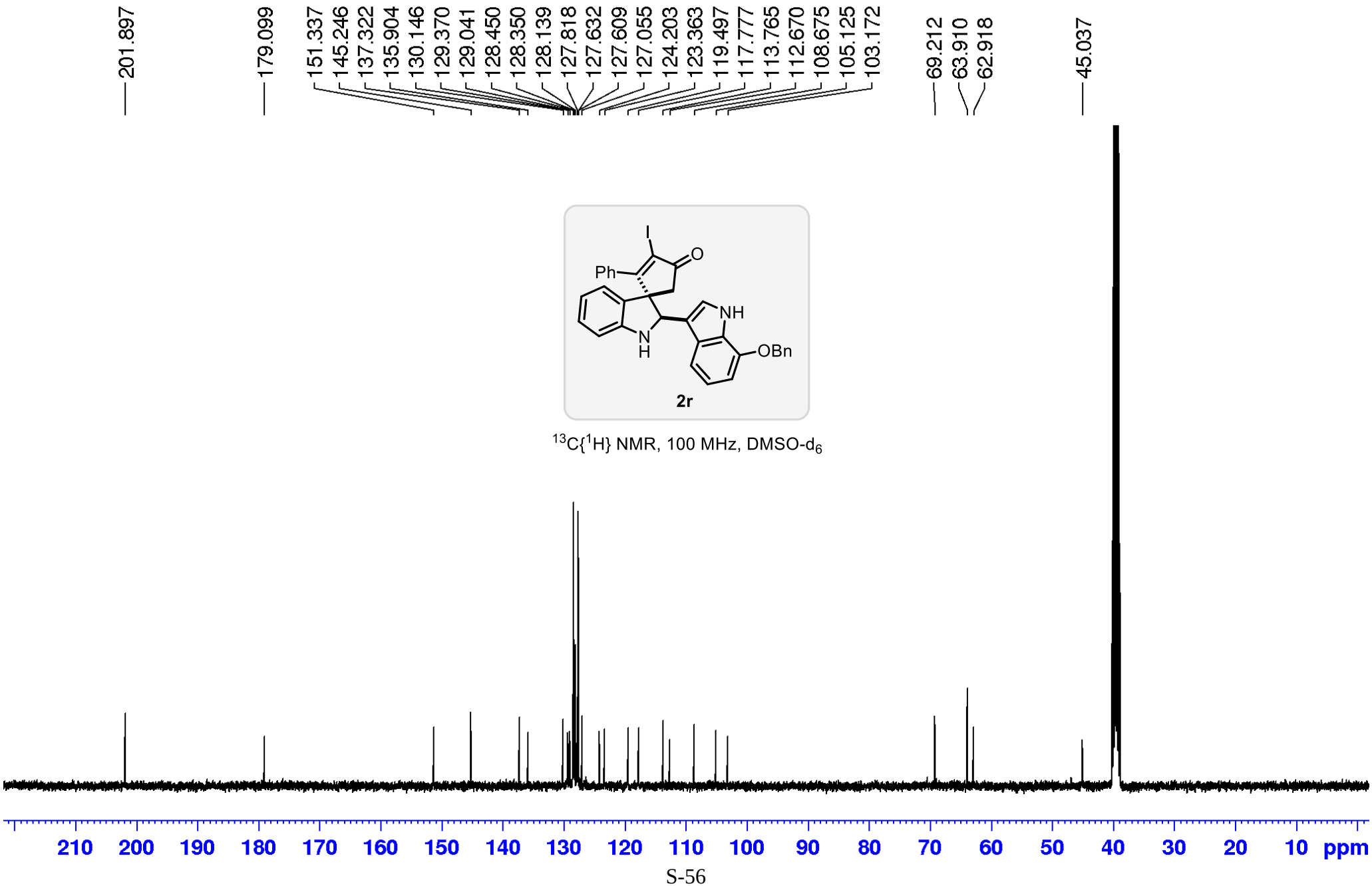
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6


11.235
11.230
7.447
7.439
7.434
7.430
7.423
7.414
7.229
7.219
7.216
7.211
7.204
7.138
7.132
7.117
7.114
7.098
7.095
7.087
7.079
7.076
7.068
6.827
6.820
6.813
6.800
6.714
6.712
6.695
6.693
6.677
6.675
6.651
6.643
6.637
6.629
6.553
6.534
6.144
6.139
5.189
5.184
3.896
2.746
2.700
2.465
2.419
I
O
Ph
NH
N
H
OMe
2q
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.01
3.04
2.08
1.03
2.06
2.03
1.04
1.04
1.02
1.00
1.01
3.06
1.01
1.00

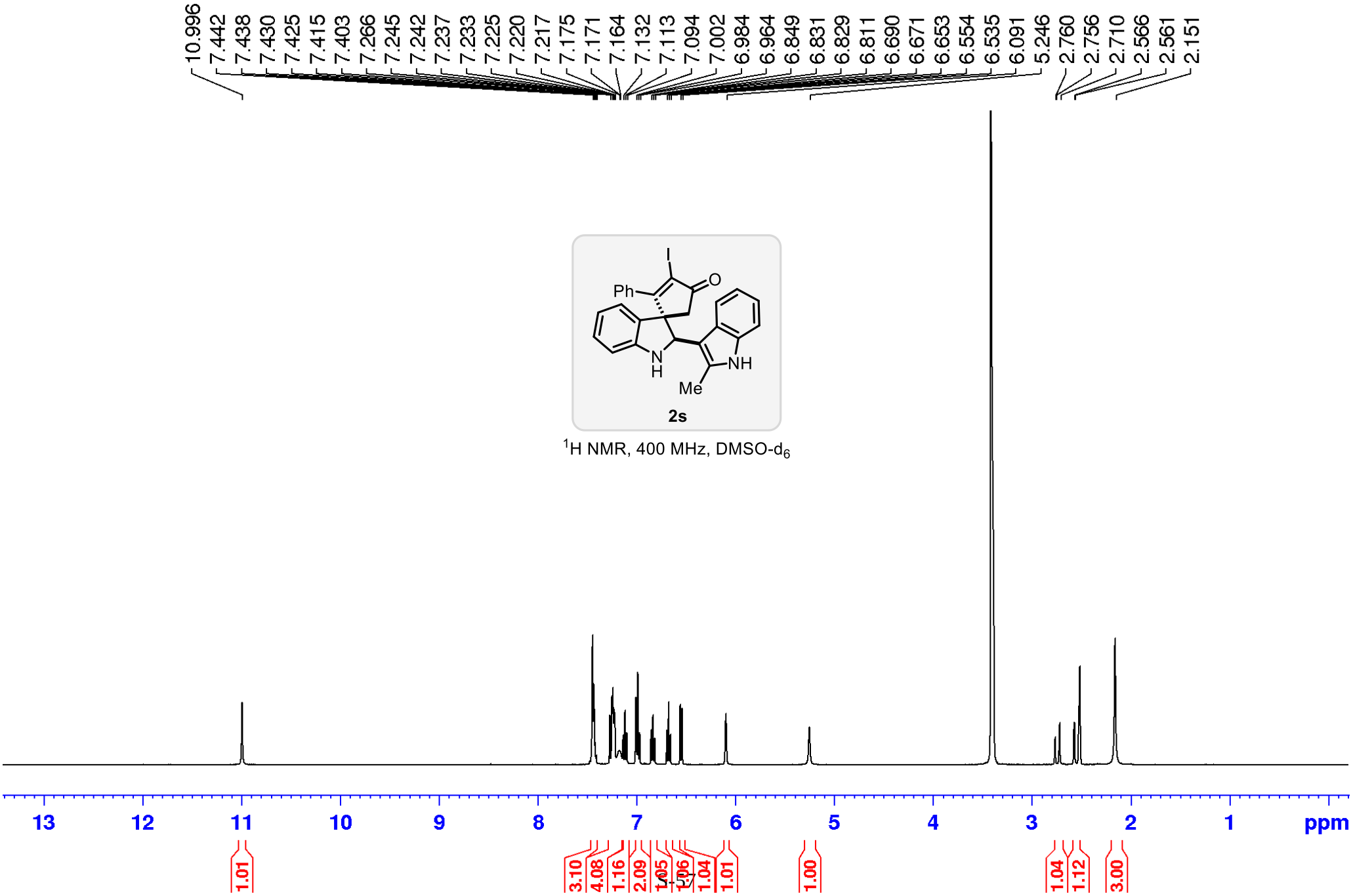


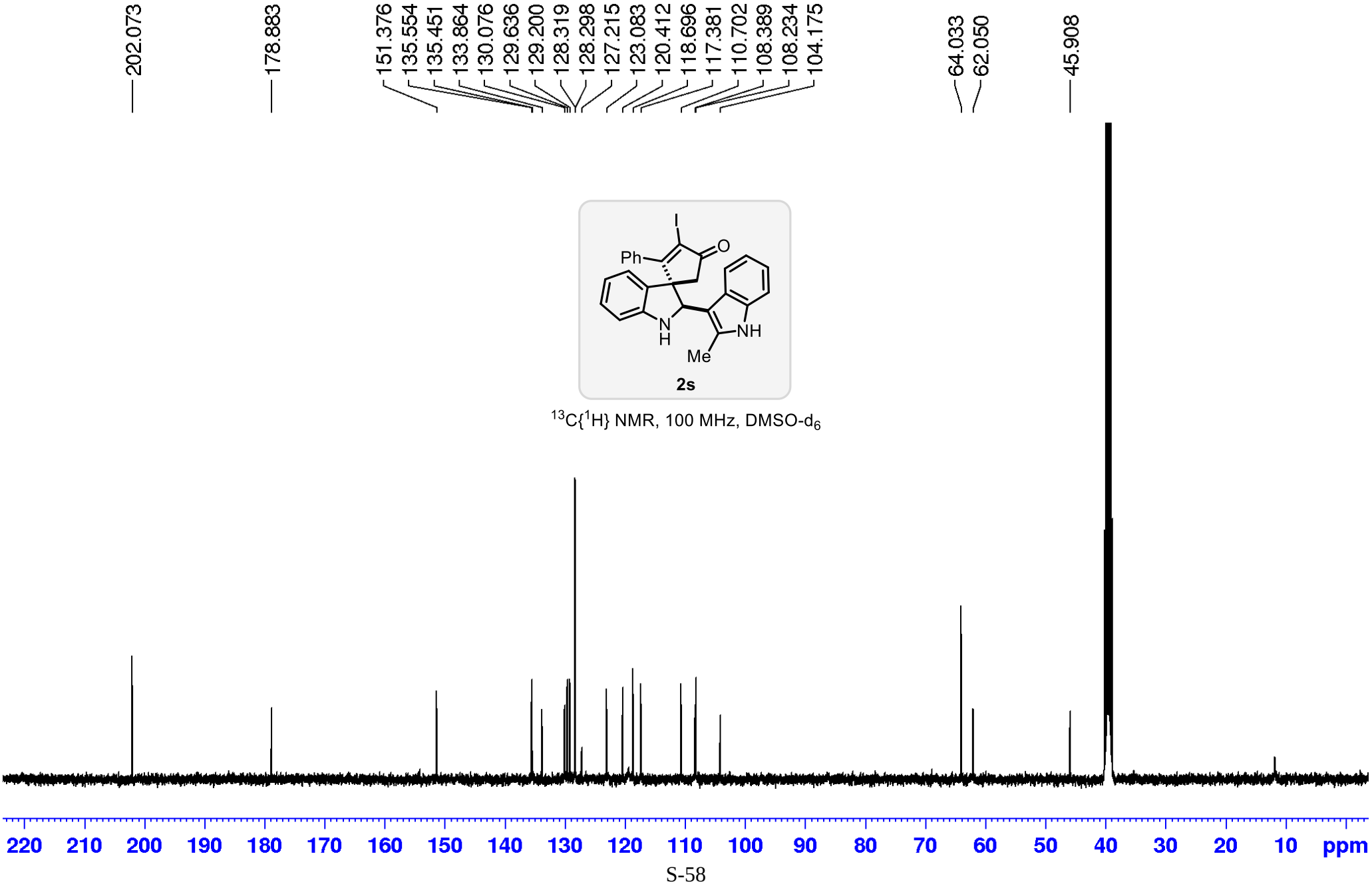
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

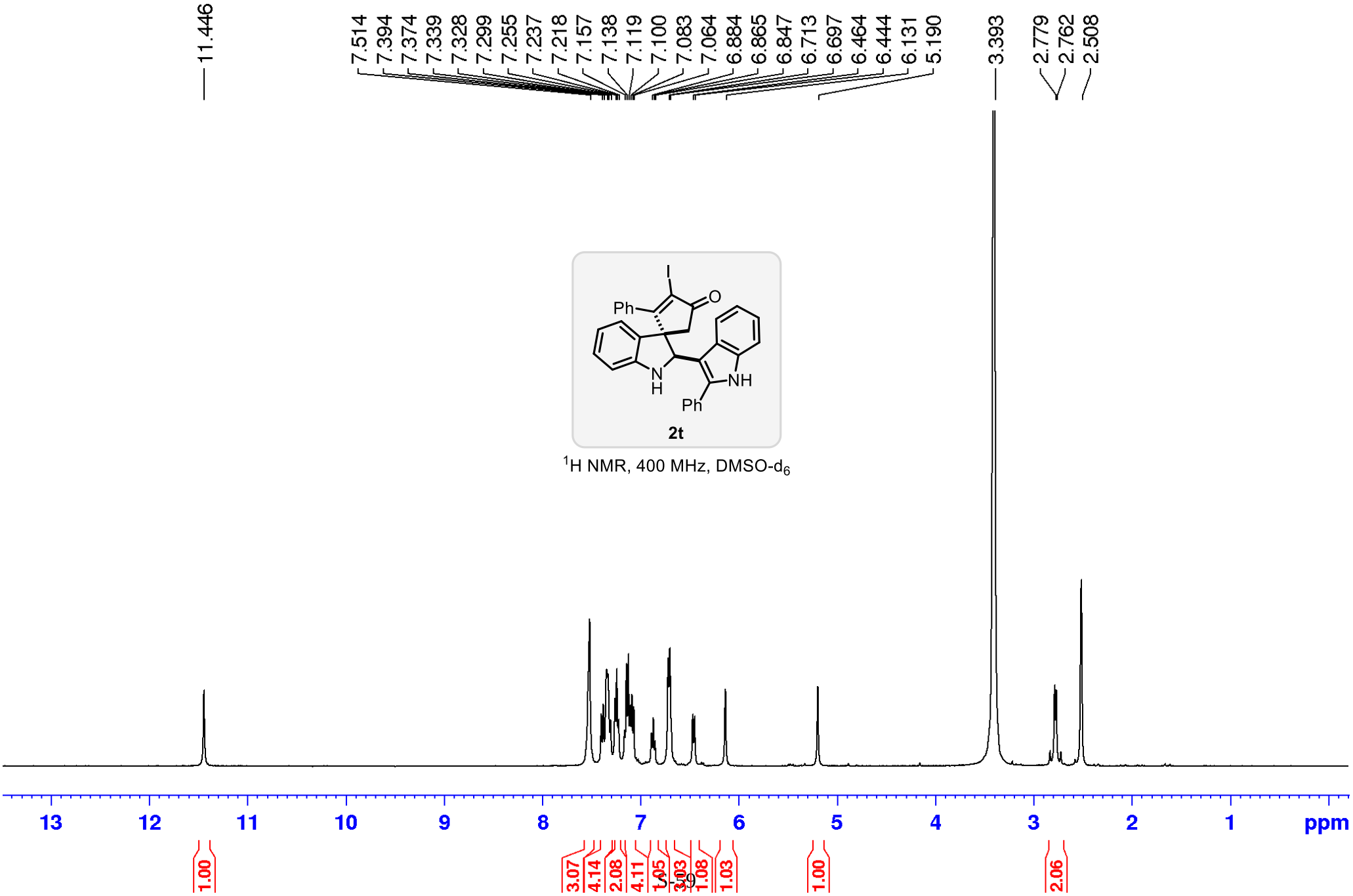

11.258
11.253
7.580
7.577
7.559
7.439
7.435
7.433
7.430
7.423
7.421
7.415
7.412
7.400
7.396
7.357
7.339
7.230
7.227
7.221
7.217
7.212
7.210
7.206
7.163
7.156
7.102
7.099
7.083
7.080
7.067
6.819
6.812
6.804
6.744
6.737
6.729
6.722
6.718
6.716
6.699
6.697
6.560
6.540
6.141
6.135
5.244
5.203
5.198
2.760
2.714
2.476
2.430
I
O
Ph
NH
N
H
OBn
2r
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.02
2.07
5.06
1.05
2.07
1.00
2.13
2.04
2.06
1.02
1.01
2.02
1.06
1.01
1.00



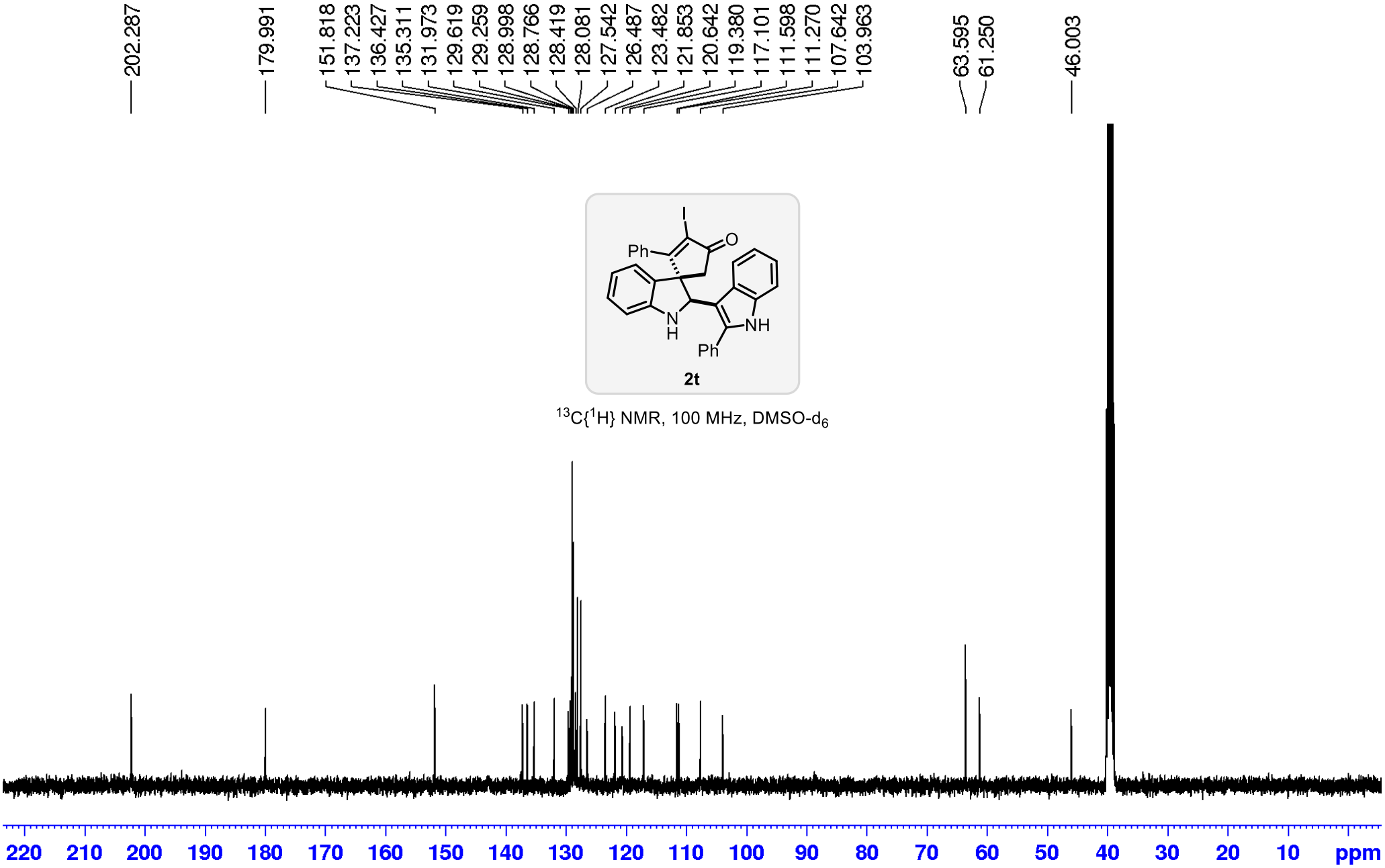
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

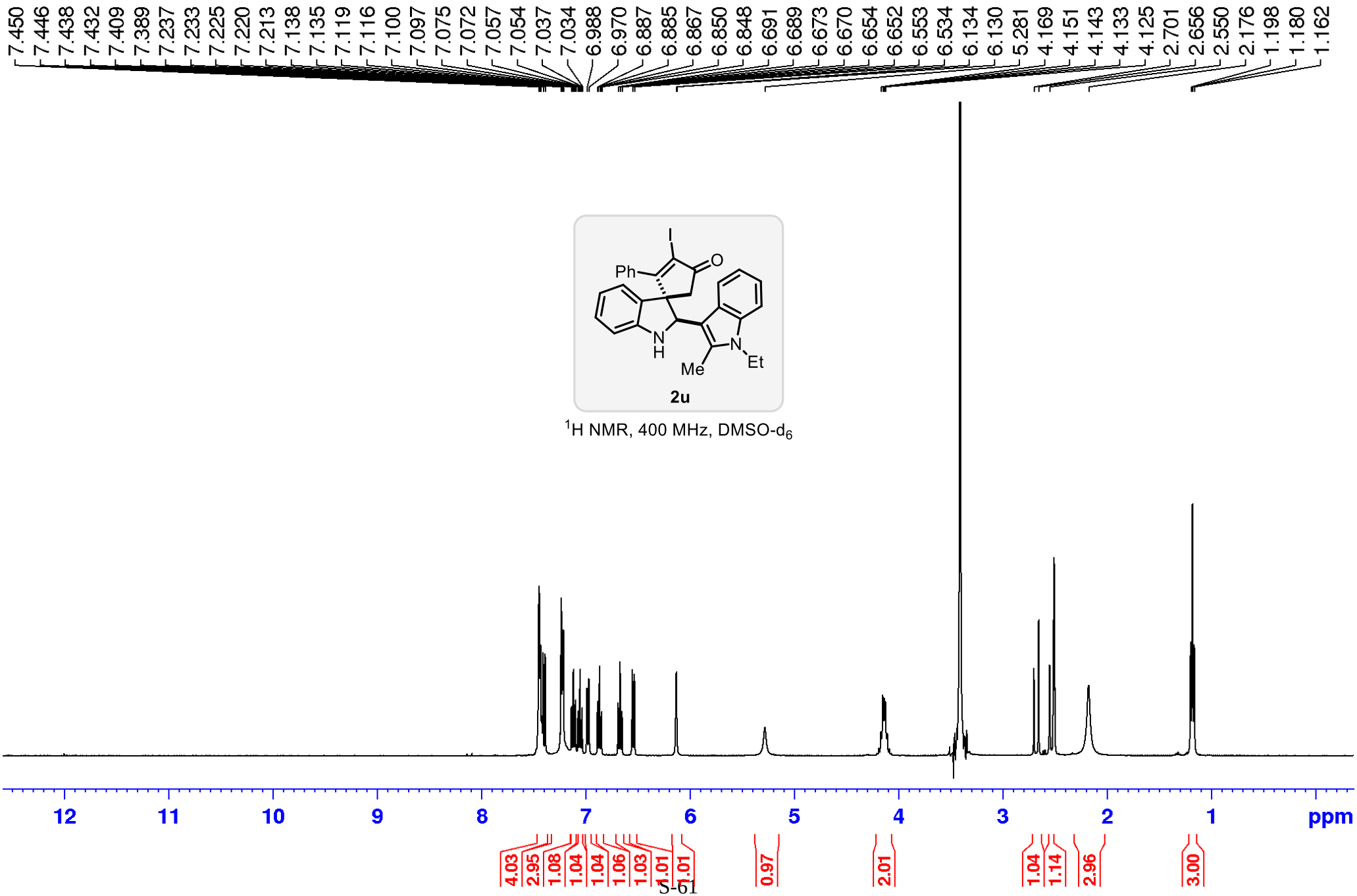

10.996
7.442
7.438
7.430
7.425
7.415
7.403
7.266
7.245
7.242
7.237
7.233
7.225
7.220
7.217
7.175
7.171
7.164
7.132
7.113
7.094
7.002
6.984
6.964
6.849
6.831
6.829
6.811
6.690
6.671
6.653
6.554
6.535
6.091
5.246
2.760
2.756
2.710
2.566
2.561
2.151
I
O
Ph
N
H
NH
Me
2s
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.01
3.10
4.08
1.16
2.09
1.05
1.06
1.04
1.01
1.00
1.04
1.12
3.00

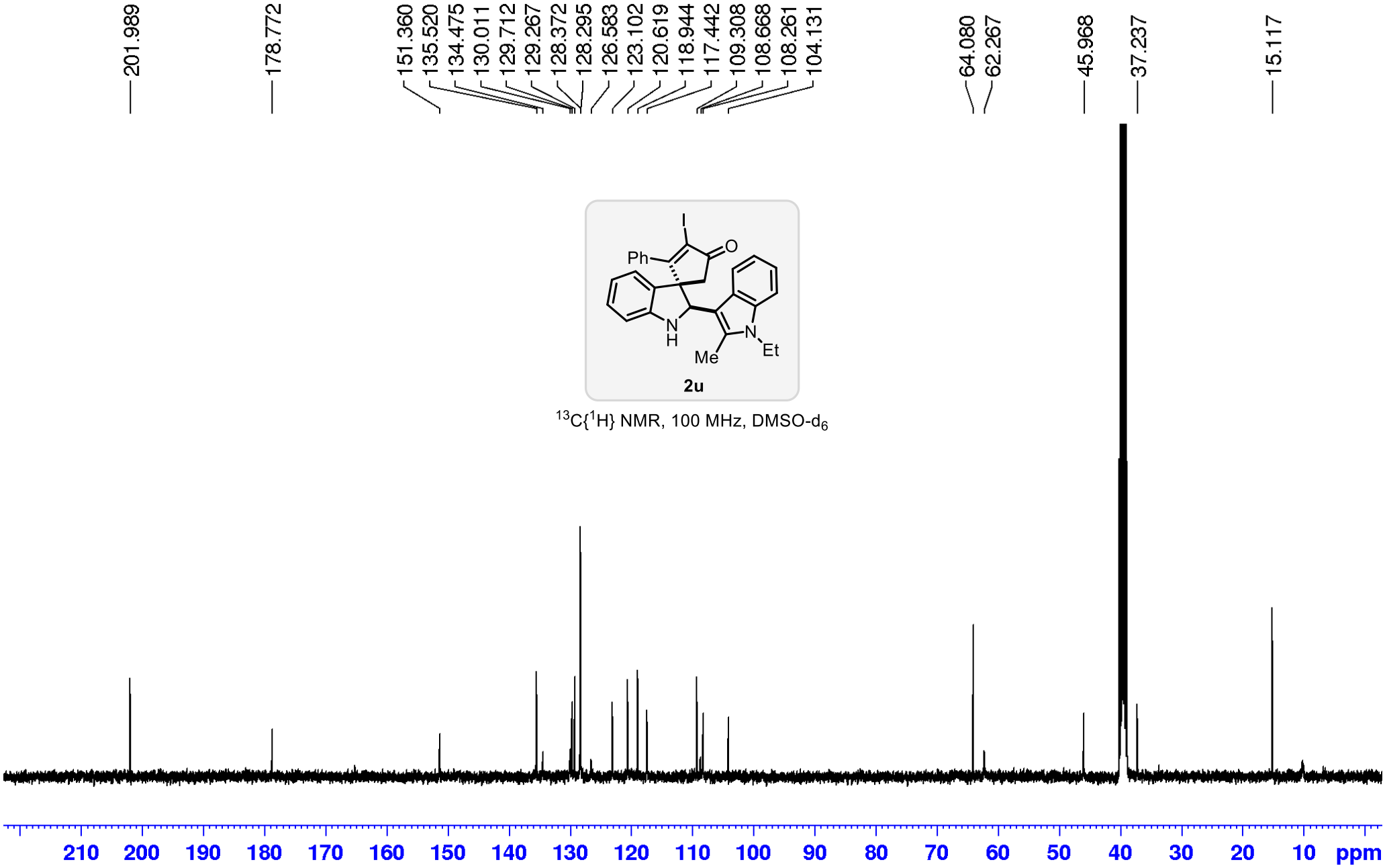

202.073
178.883
151.376
135.554
135.451
133.864
130.076
129.636
129.200
128.319
128.298
127.215
123.083
120.412
118.696
117.381
110.702
108.389
108.234
104.175
64.033
62.050
45.908
I
O
Ph
N
H
NH
Me
2s
13C{1H} NMR, 100 MHz, DMSO-d6
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm

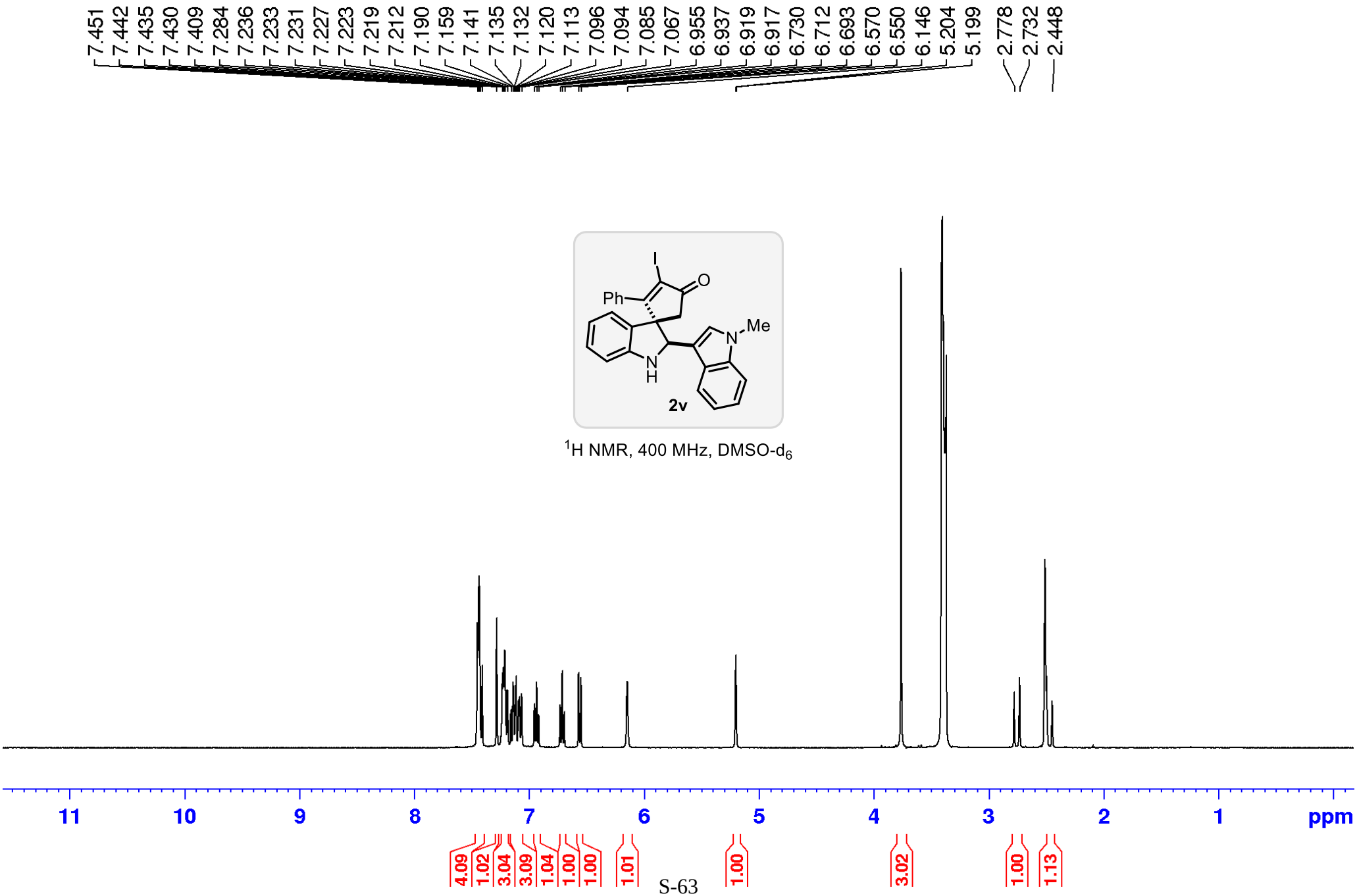


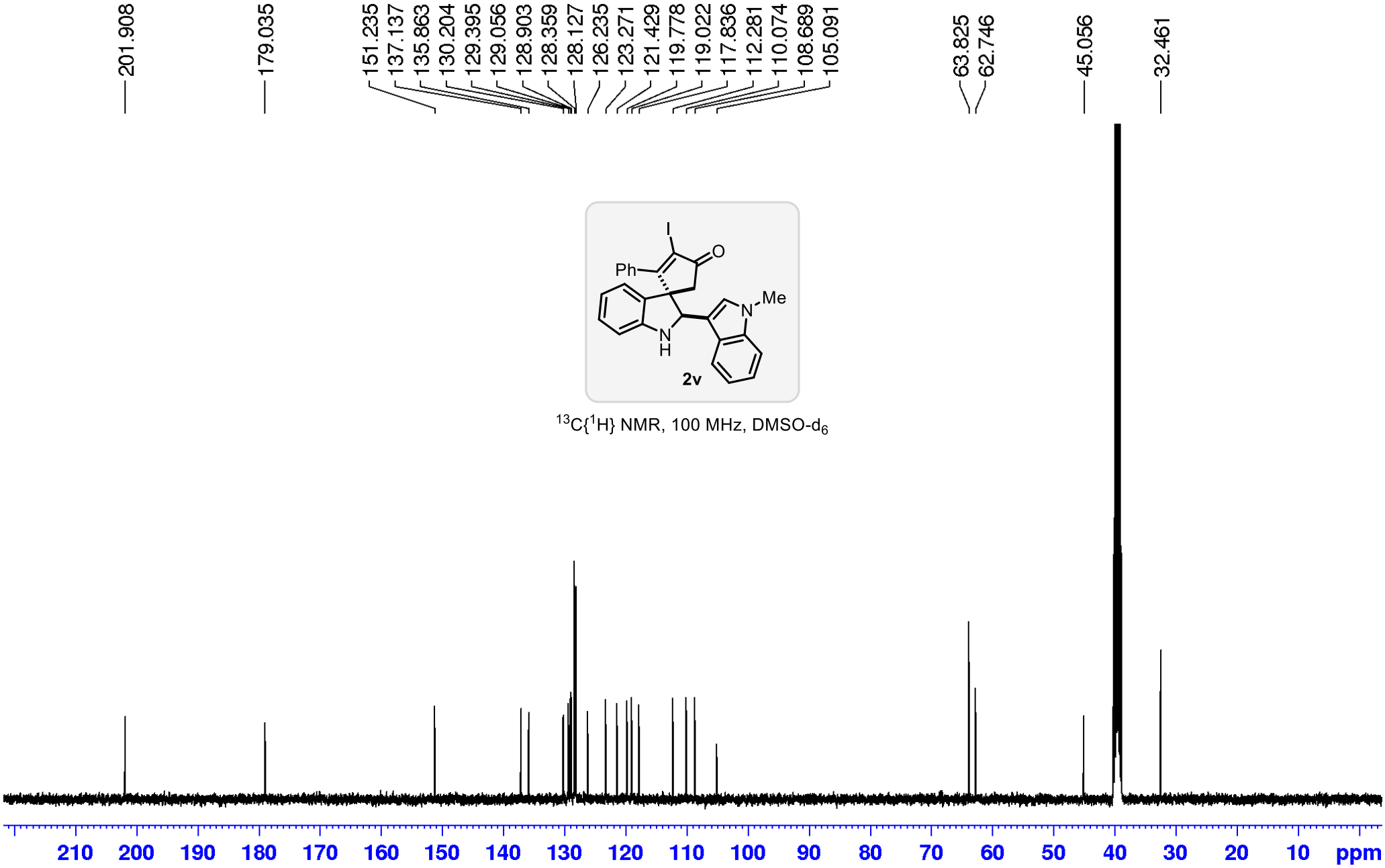
^{1}H NMR, 400 MHz, DMSO-d_6


202.287
179.991
151.818
137.223
136.427
135.311
131.973
129.619
129.259
128.998
128.766
128.419
128.081
127.542
126.487
123.482
121.853
120.642
119.380
117.101
111.598
111.270
107.642
103.963
63.595
61.250
46.003
I
O
Ph
N
H
NH
Ph
2t
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm

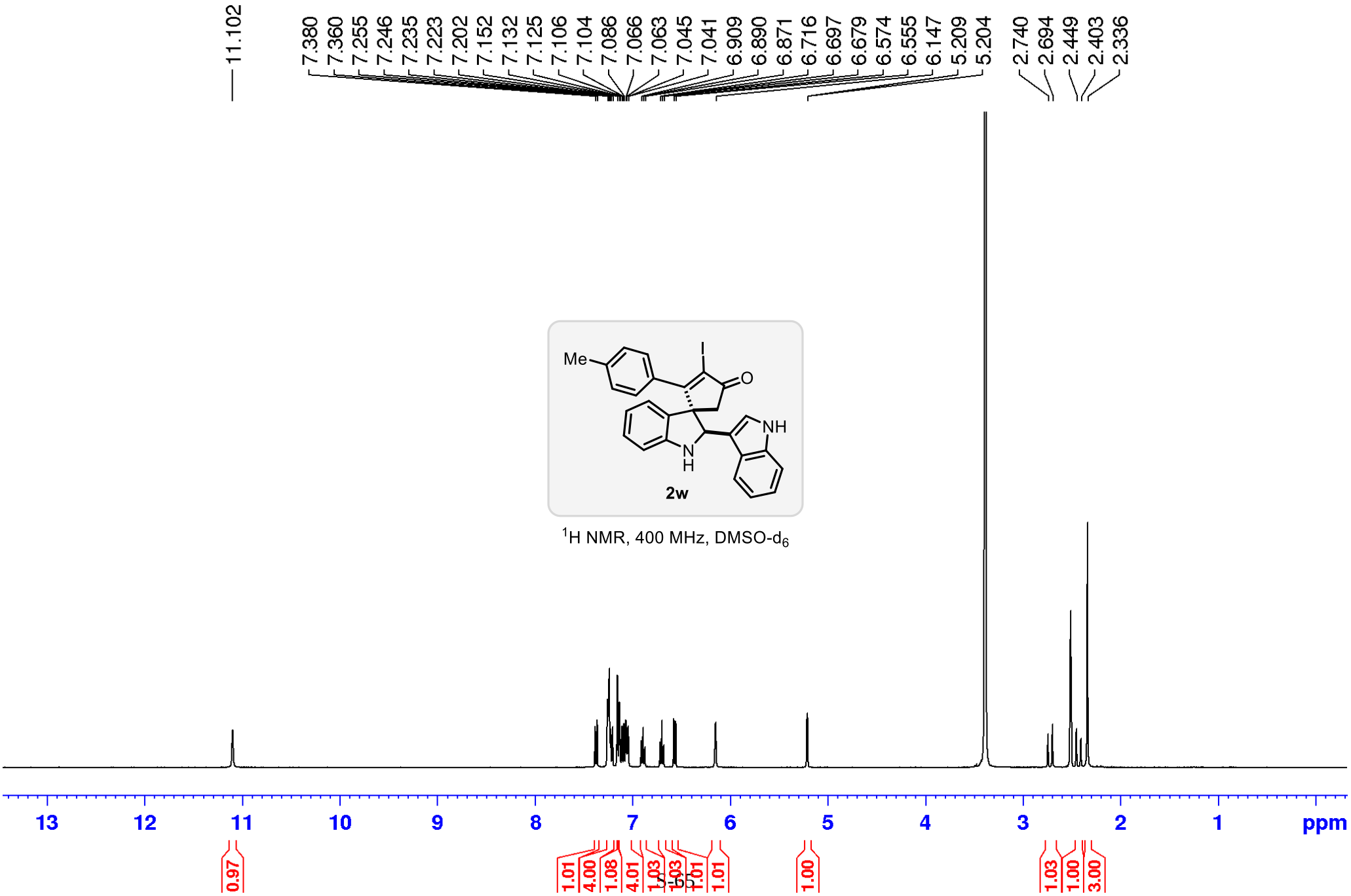

7.450
7.446
7.438
7.432
7.409
7.389
7.237
7.233
7.225
7.220
7.213
7.138
7.135
7.119
7.116
7.100
7.097
7.075
7.072
7.057
7.054
7.037
7.034
6.988
6.970
6.887
6.885
6.867
6.850
6.848
6.691
6.689
6.673
6.670
6.654
6.652
6.553
6.534
6.134
6.130
5.281
4.169
4.151
4.143
4.133
4.125
2.701
2.656
2.550
2.176
1.198
1.180
1.162
I
O
Ph
N
H
N
Me
Et
2u
^{1}H NMR, 400 MHz, DMSO-d$_6$
12
11
10
9
8
7
6
5
4
3
2
1
ppm
4.03
2.95
1.08
1.04
1.04
1.06
1.03
1.01
1.01
0.97
2.01
1.04
1.14
2.96
3.00

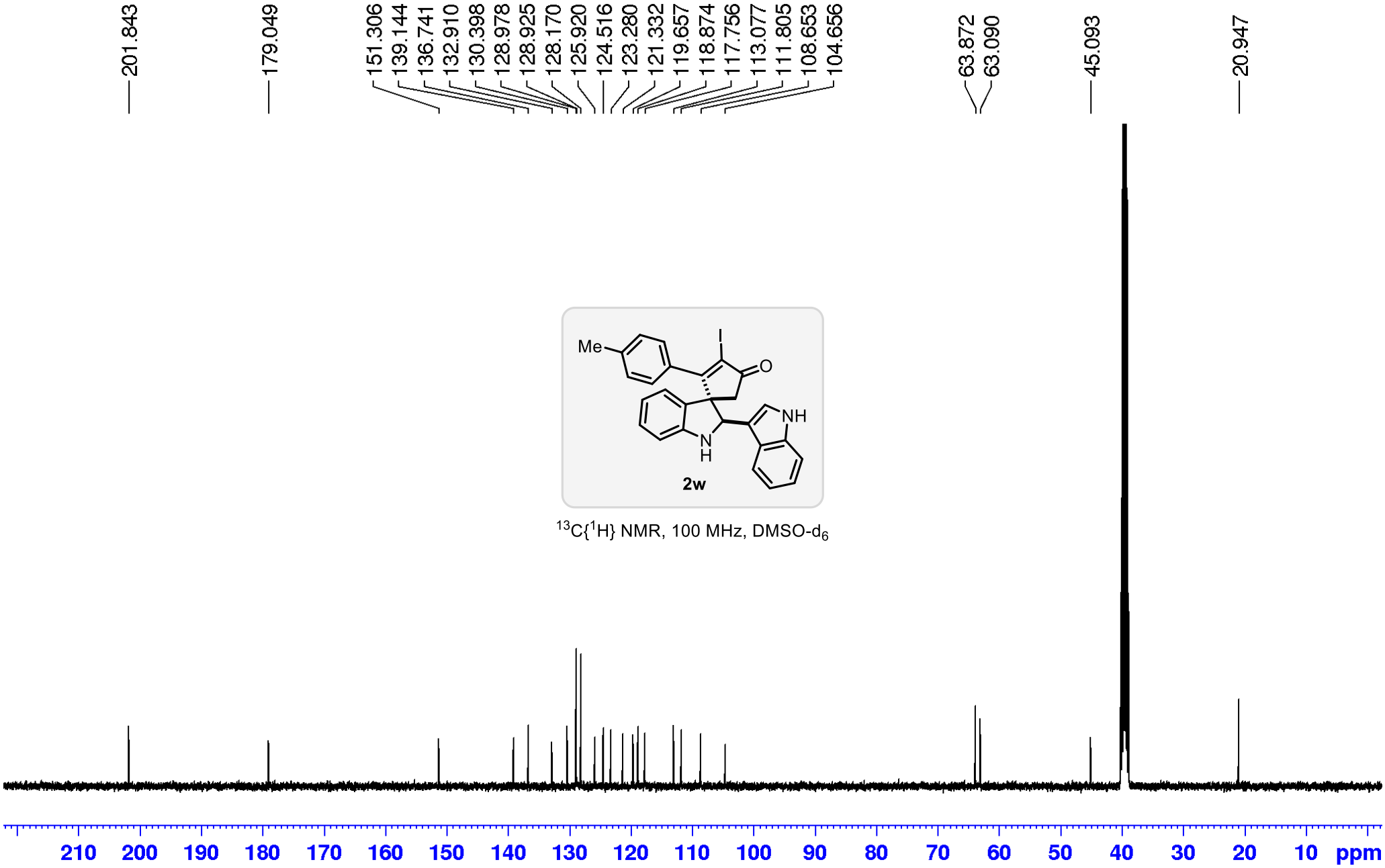

201.989
178.772
151.360
135.520
134.475
130.011
129.712
129.267
128.372
128.295
126.583
123.102
120.619
118.944
117.442
109.308
108.668
108.261
104.131
64.080
62.267
45.968
37.237
15.117
I
O
Ph
N
H
N
Et
Me
2u
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
ppm


7.451
7.442
7.435
7.430
7.409
7.284
7.236
7.233
7.231
7.227
7.223
7.219
7.212
7.190
7.159
7.141
7.135
7.132
7.120
7.113
7.096
7.094
7.085
7.067
6.955
6.937
6.919
6.917
6.730
6.712
6.693
6.570
6.550
6.146
5.204
5.199
2.778
2.732
2.448
I
O
Ph
N
Me
H
2v
1H NMR, 400 MHz, DMSO-d6
11
10
9
8
7
6
5
4
3
2
1
ppm
4.09
1.02
3.04
3.09
1.04
1.00
1.00
1.01
1.00
3.02
1.00
1.13

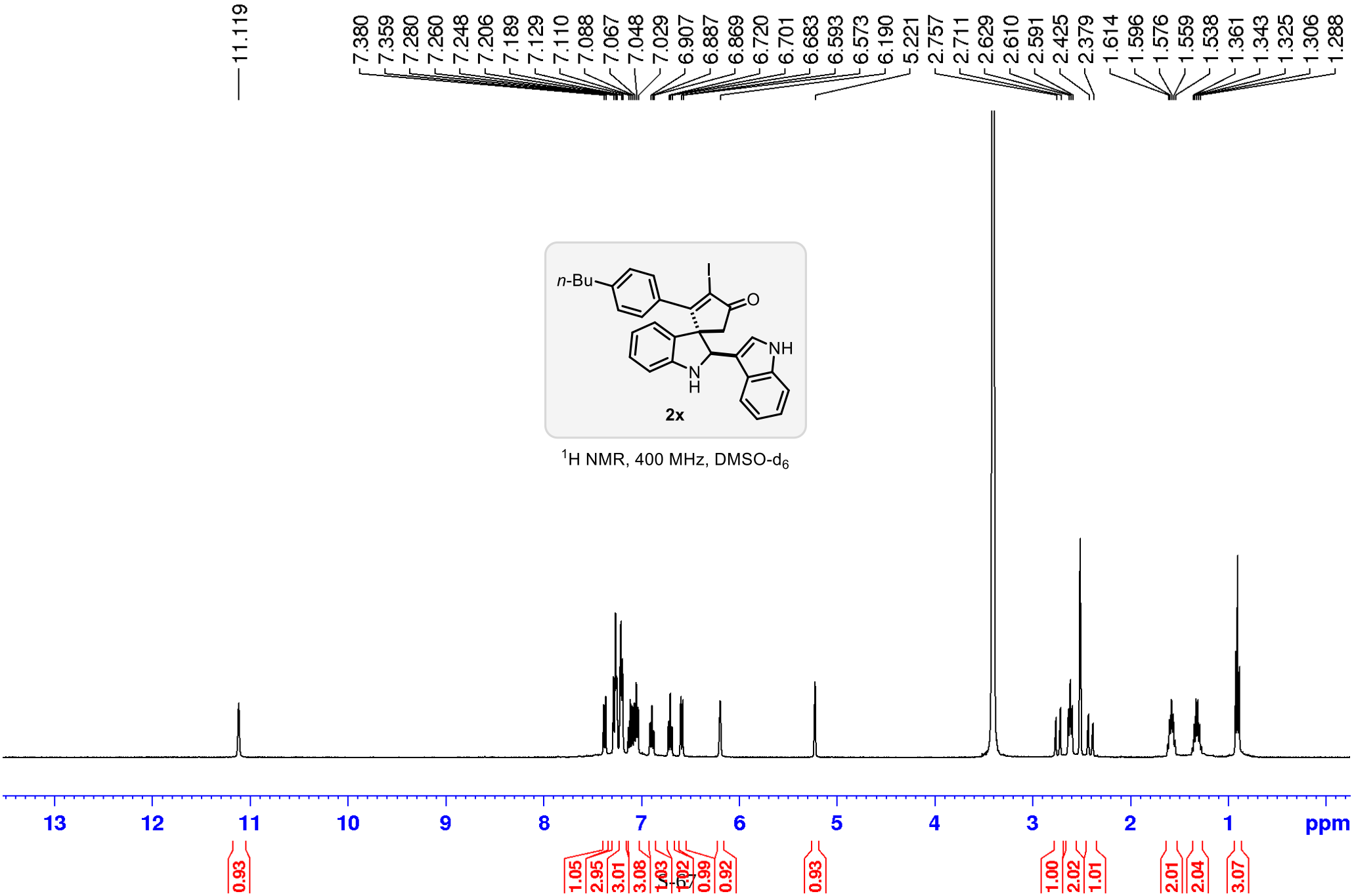


$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

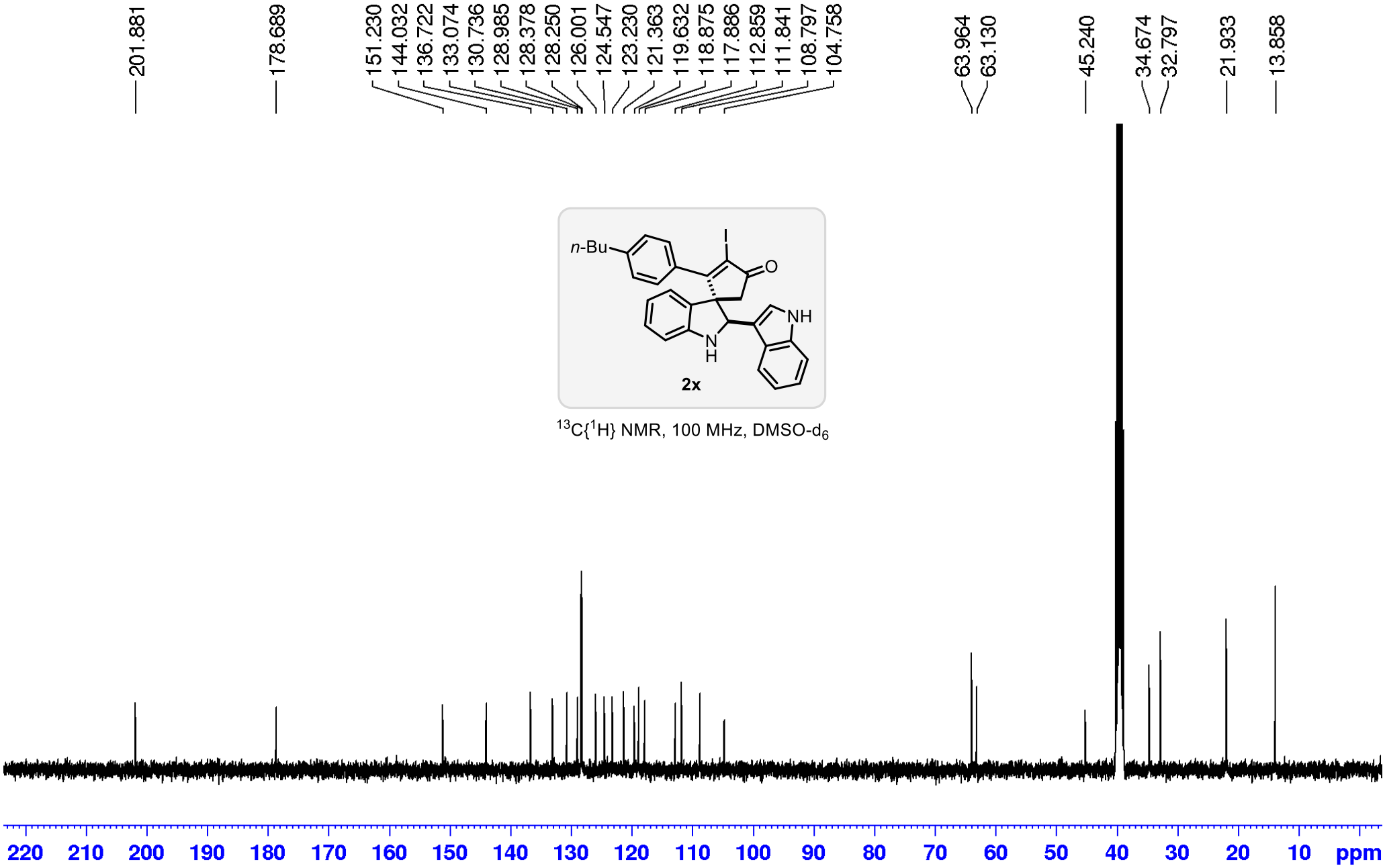

11.102
7.380
7.360
7.255
7.246
7.235
7.223
7.202
7.152
7.132
7.125
7.106
7.104
7.086
7.066
7.063
7.045
7.041
6.909
6.890
6.871
6.716
6.697
6.679
6.574
6.555
6.147
5.209
5.204
2.740
2.694
2.449
2.403
2.336
Me
I
O
NH
N
H
2w
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
0.97
1.01
4.00
1.08
4.01
1.03
1.03
1.01
1.01
1.00
1.03
1.00
3.00



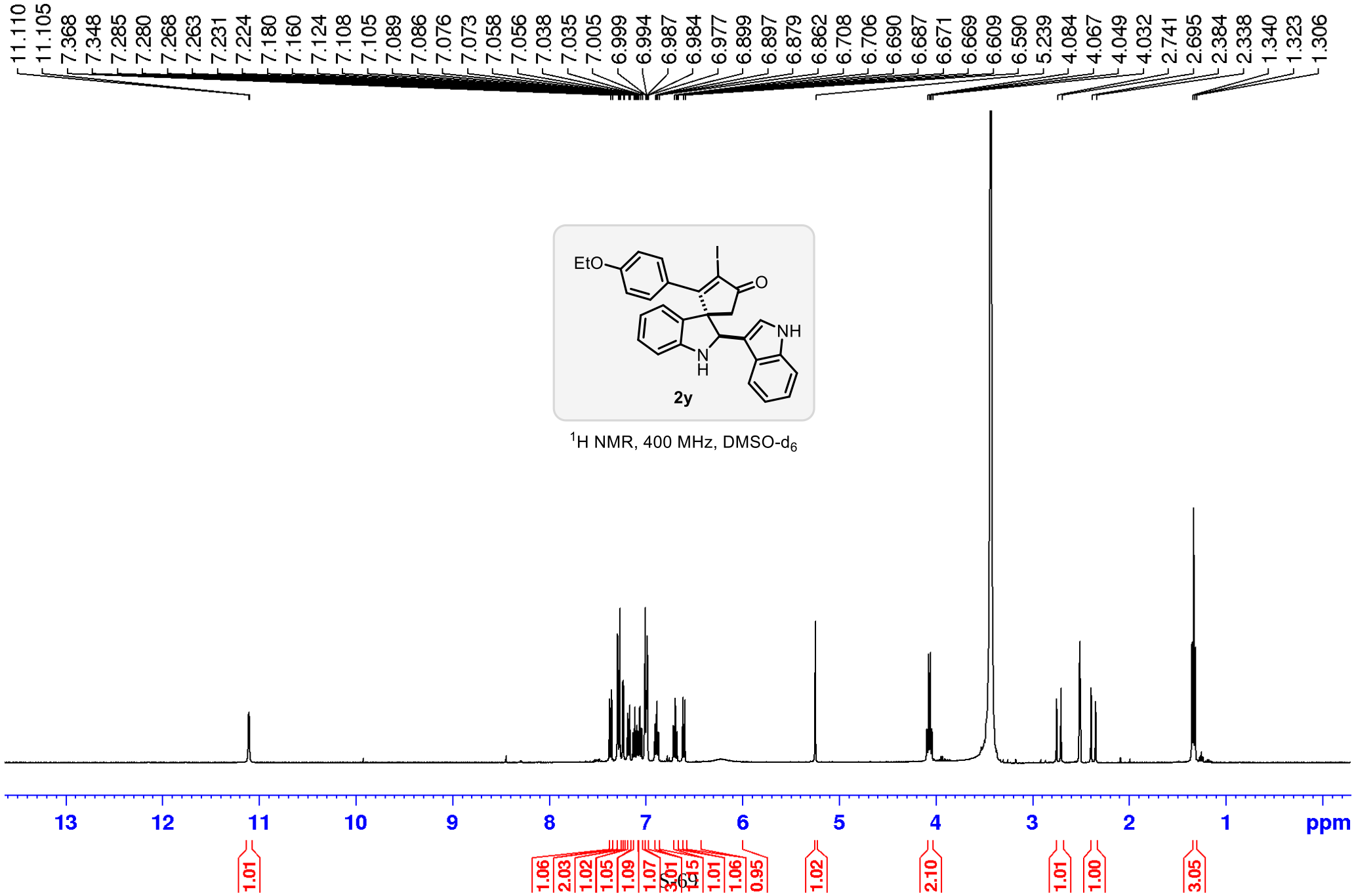
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



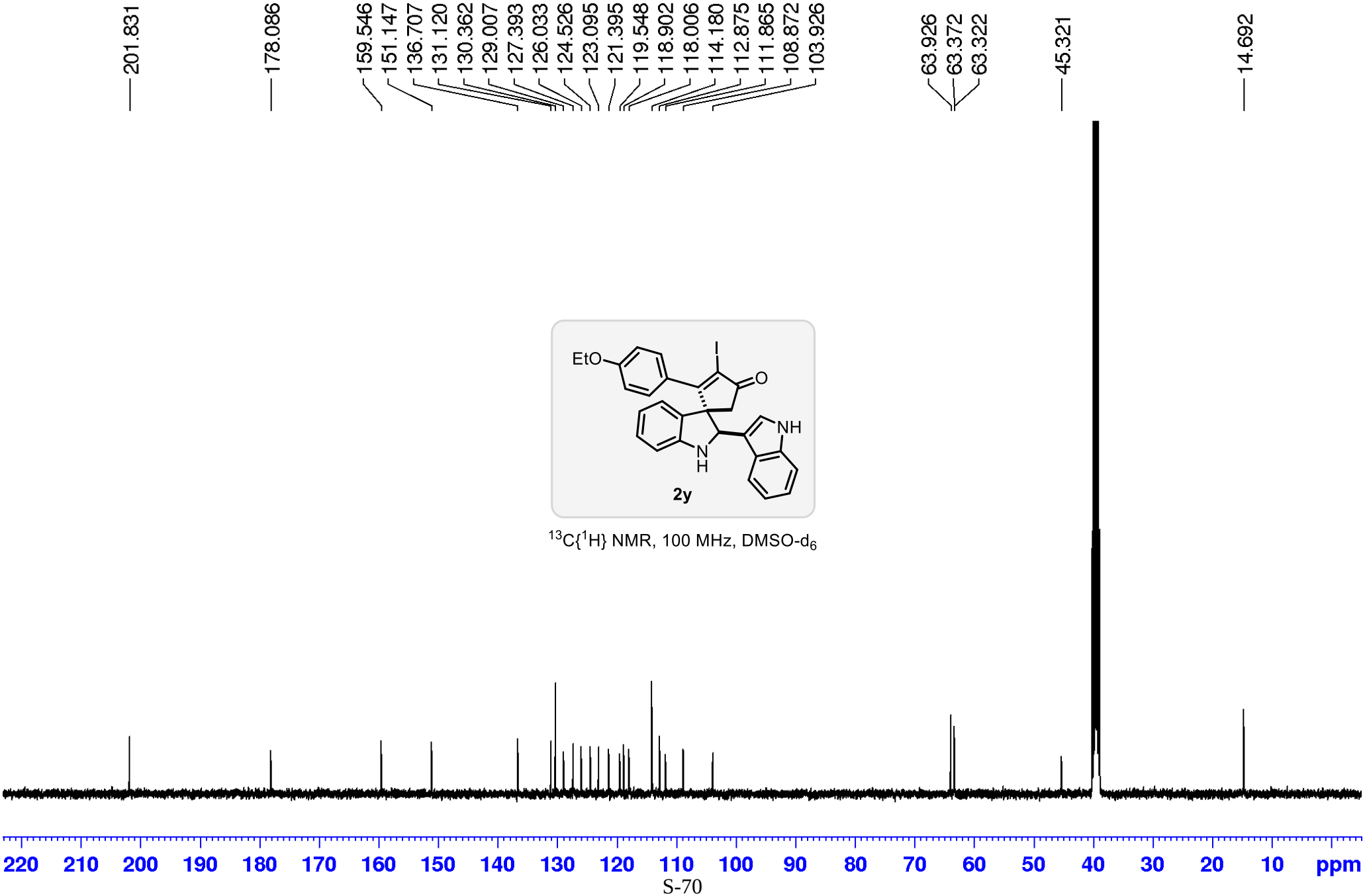
^{1}H NMR, 400 MHz, DMSO-d_6



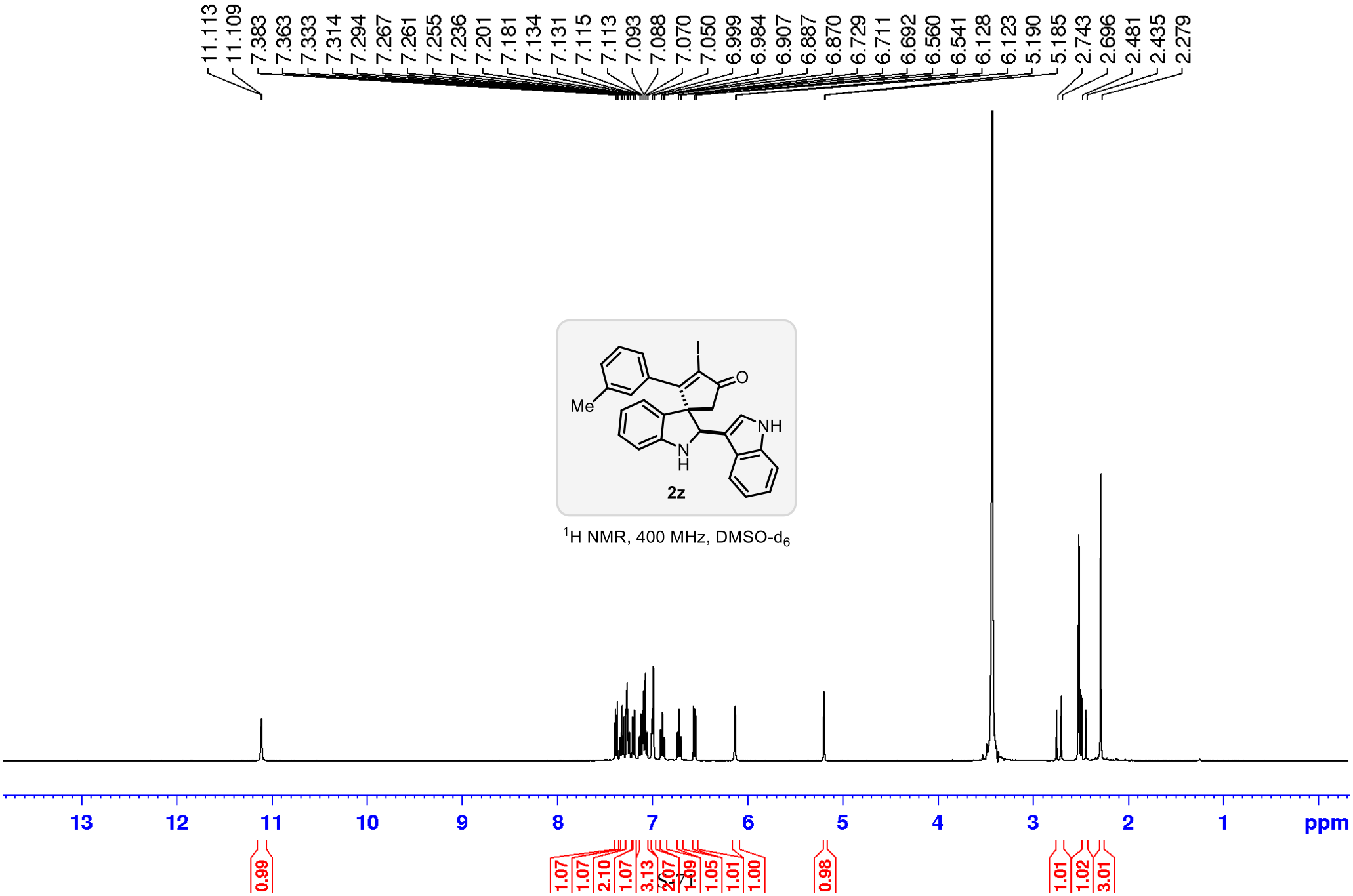
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

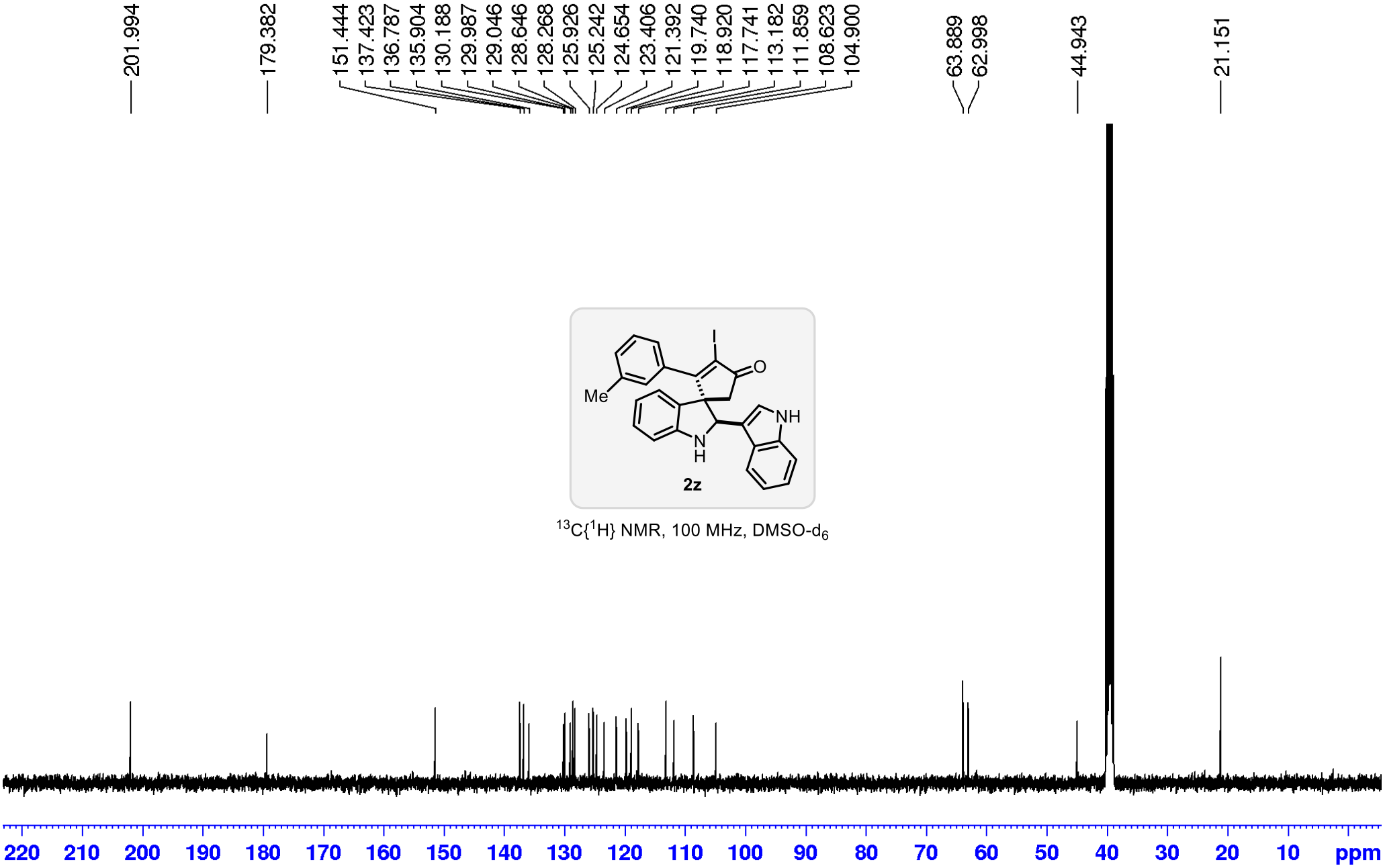


^{1}H NMR, 400 MHz, DMSO-d_6

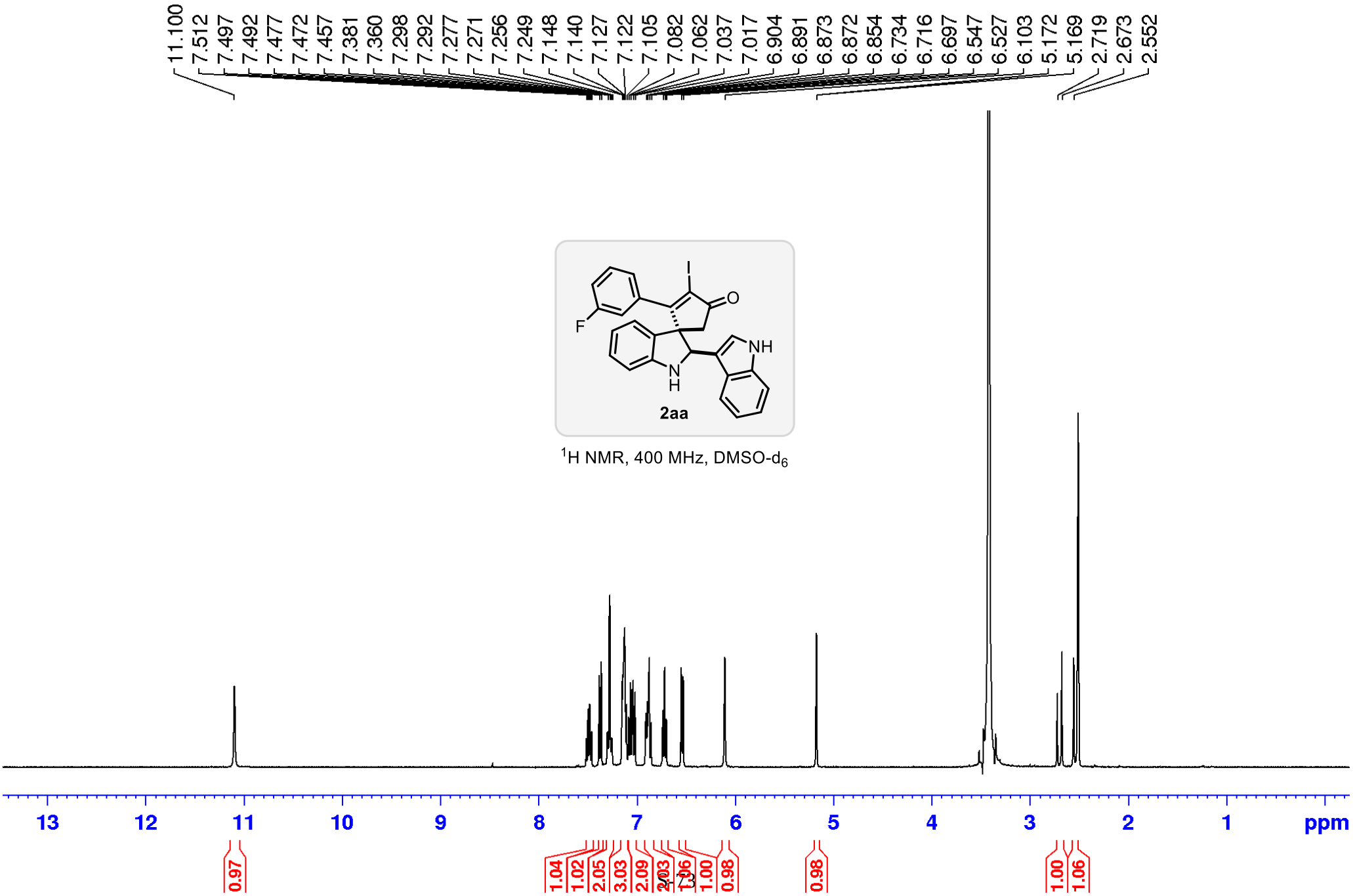


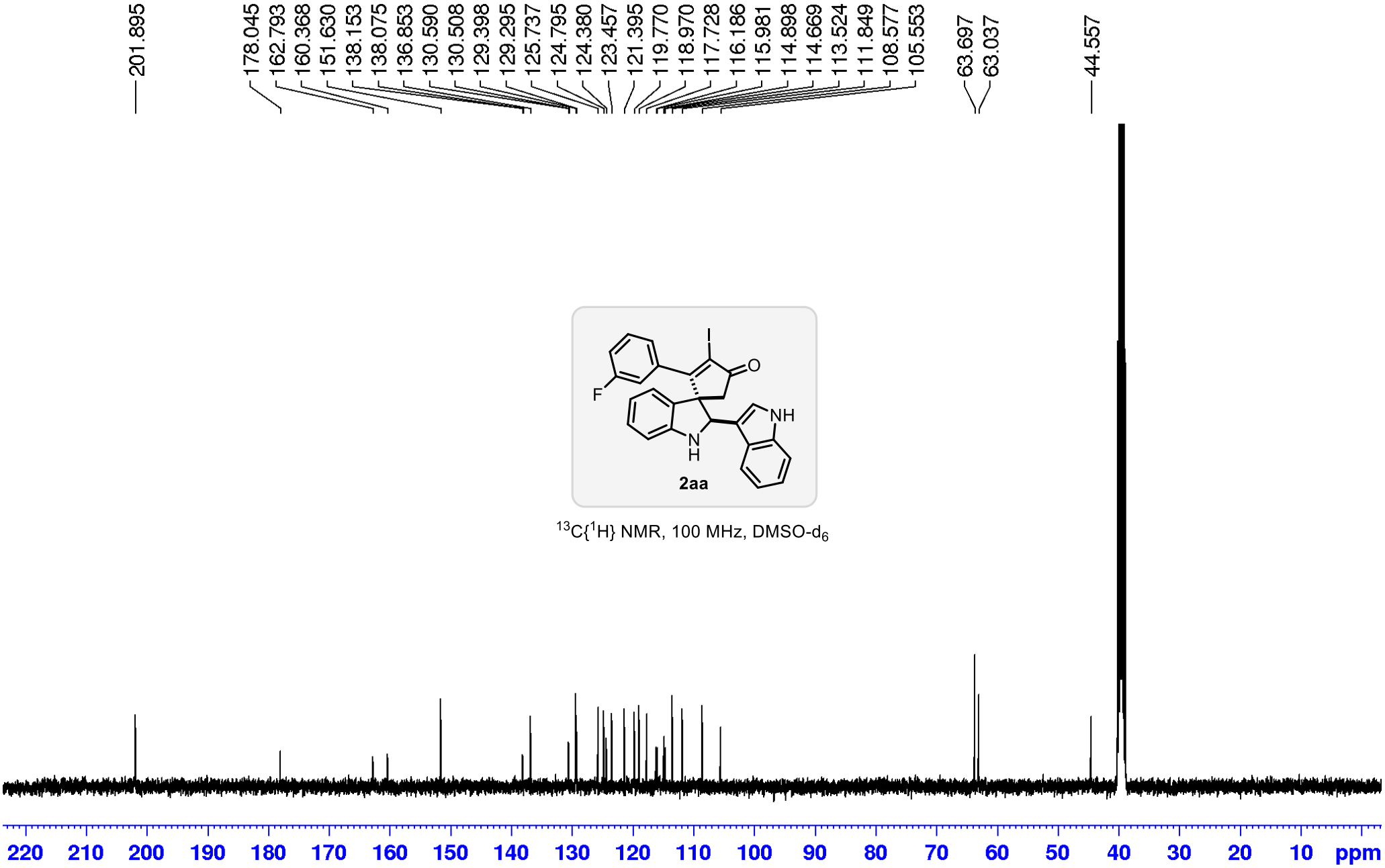
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6


11.113
11.109
7.383
7.363
7.333
7.314
7.294
7.267
7.261
7.255
7.236
7.201
7.181
7.134
7.131
7.115
7.113
7.093
7.088
7.070
7.050
6.999
6.984
6.907
6.887
6.870
6.729
6.711
6.692
6.560
6.541
6.128
6.123
5.190
5.185
2.743
2.696
2.481
2.435
2.279
Me
I
O
NH
N
H
2z
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
0.99
1.07
1.07
2.10
1.07
3.13
2.07
1.09
1.05
1.01
1.00
0.98
1.01
1.02
3.01

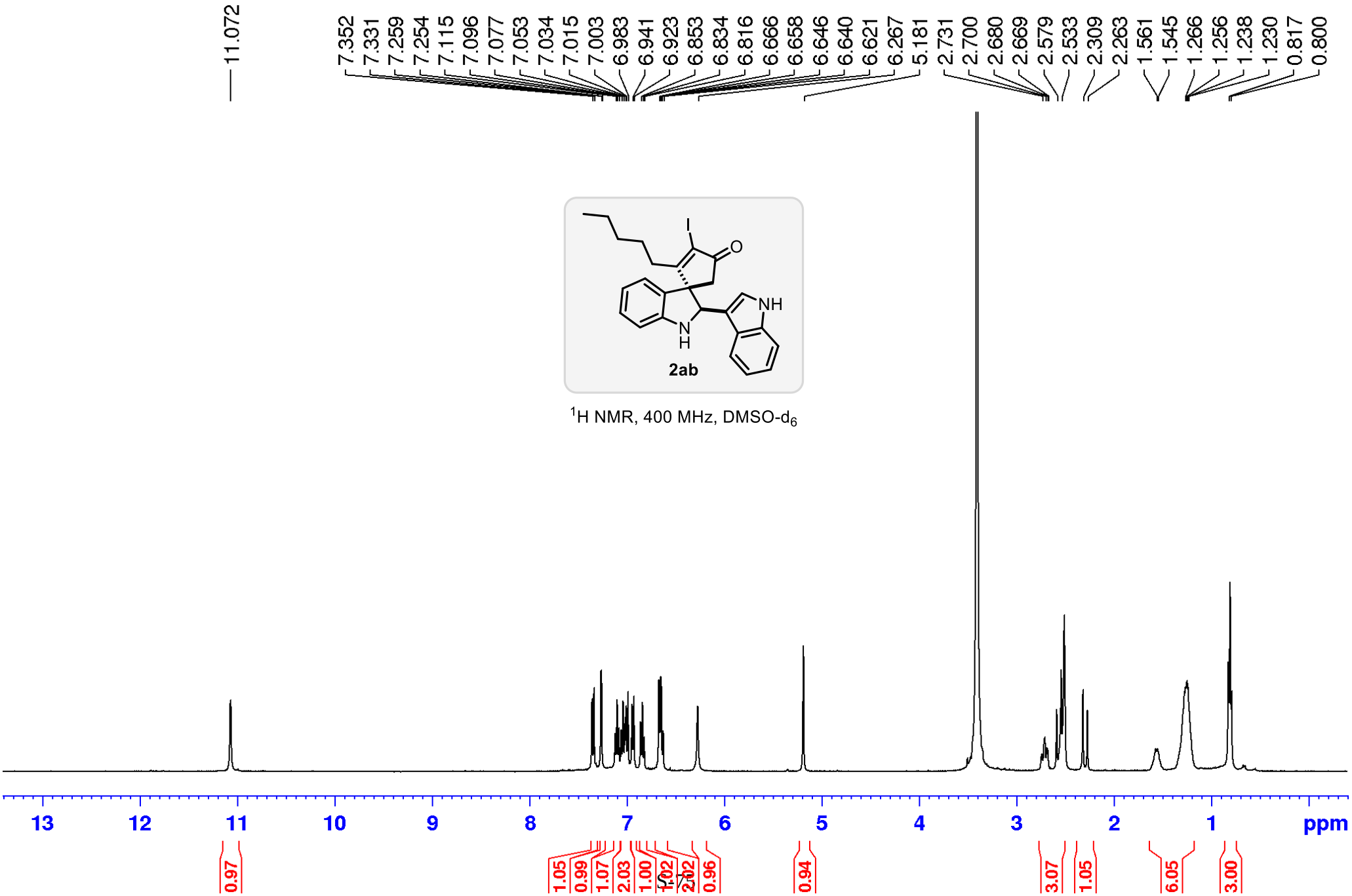


$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

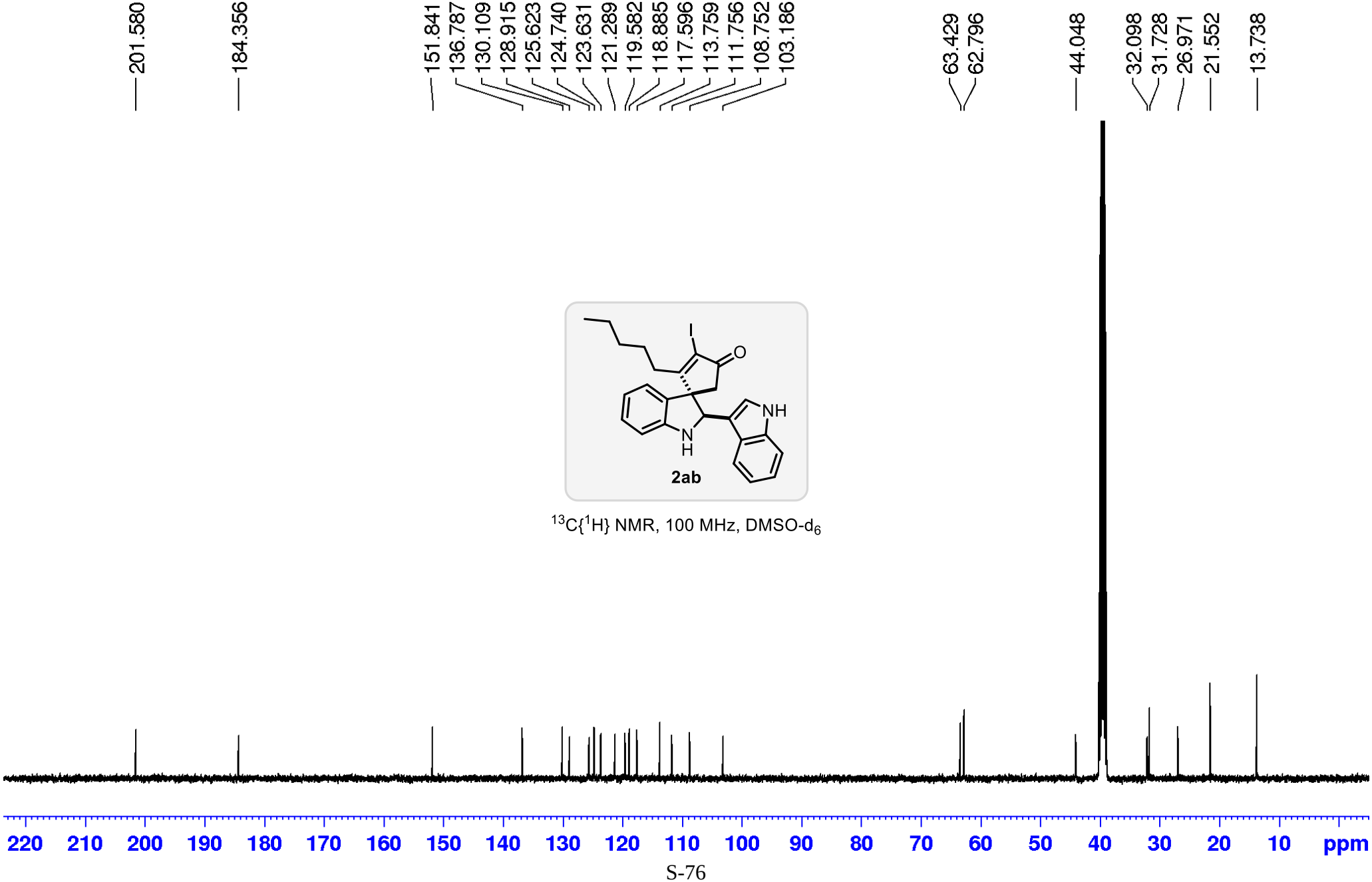

11.100
7.512
7.497
7.492
7.477
7.472
7.457
7.381
7.360
7.298
7.292
7.277
7.271
7.256
7.249
7.148
7.140
7.127
7.122
7.105
7.082
7.062
7.037
7.017
6.904
6.891
6.873
6.872
6.854
6.734
6.716
6.697
6.547
6.527
6.103
5.172
5.169
2.719
2.673
2.552
F
I
O
NH
N
H
2aa
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
0.97
1.04
1.02
2.05
3.03
2.09
2.03
1.06
1.00
0.98
0.98
1.00
1.06



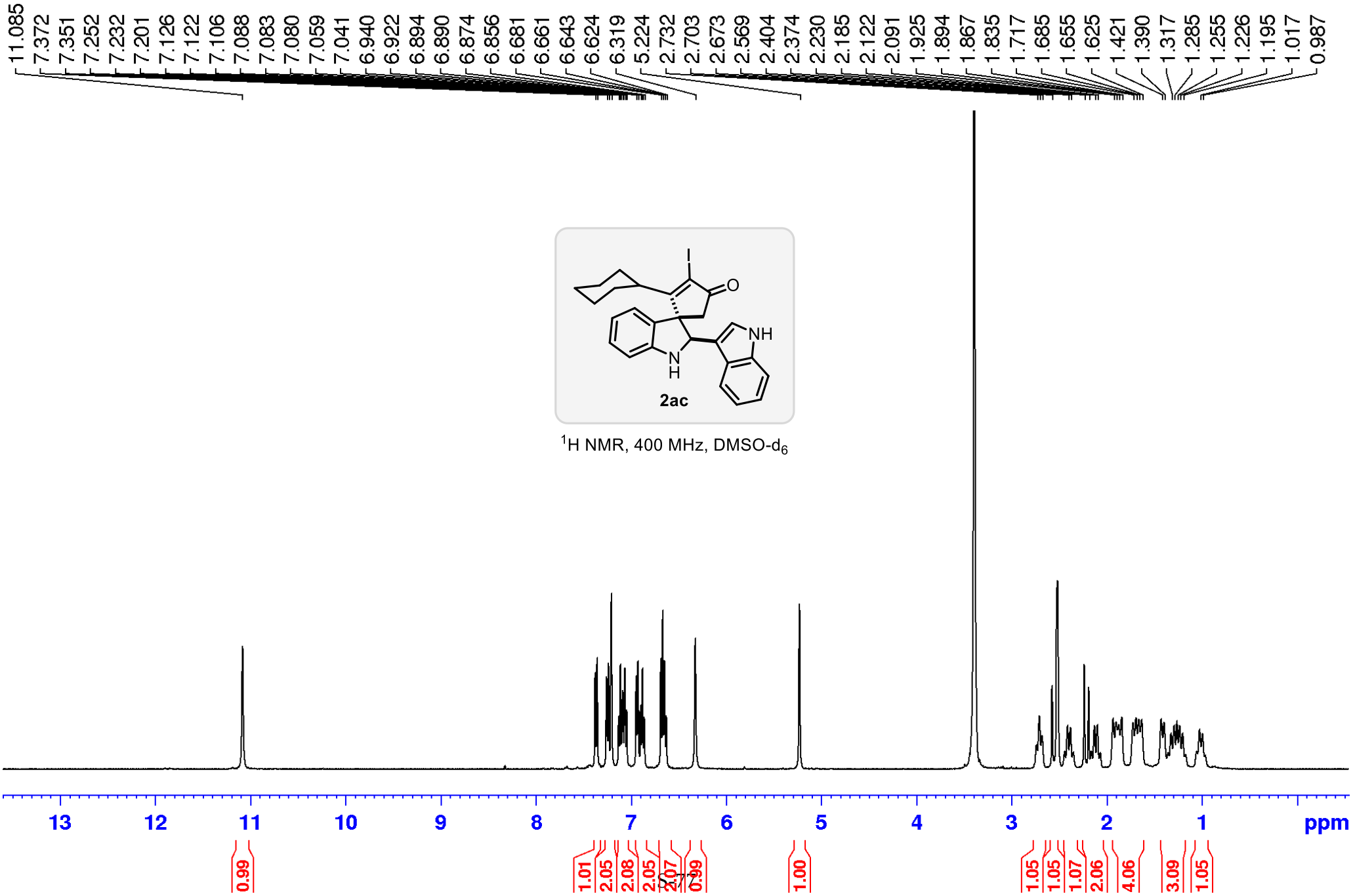
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



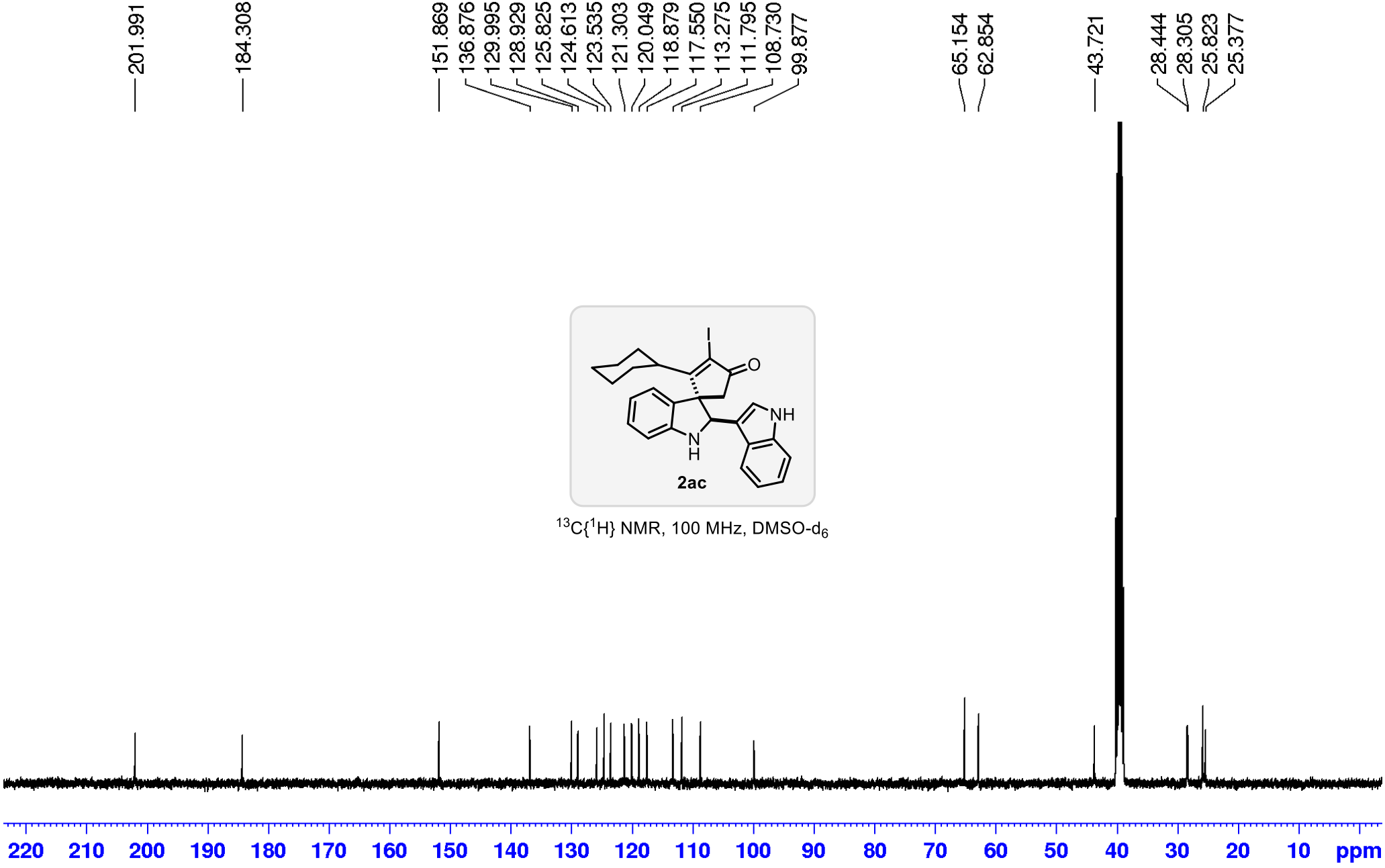
^{1}H NMR, 400 MHz, DMSO-d_6



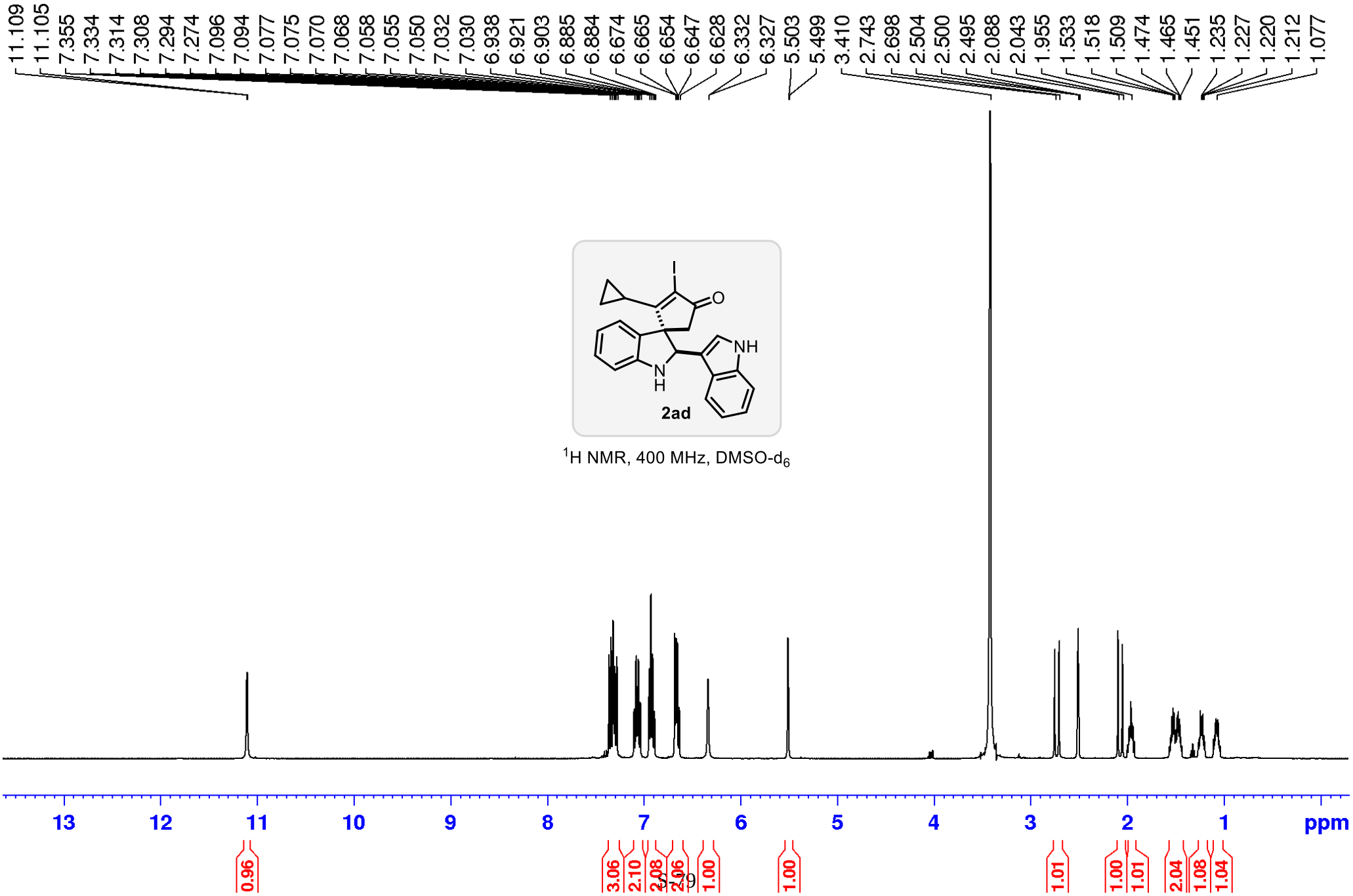
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



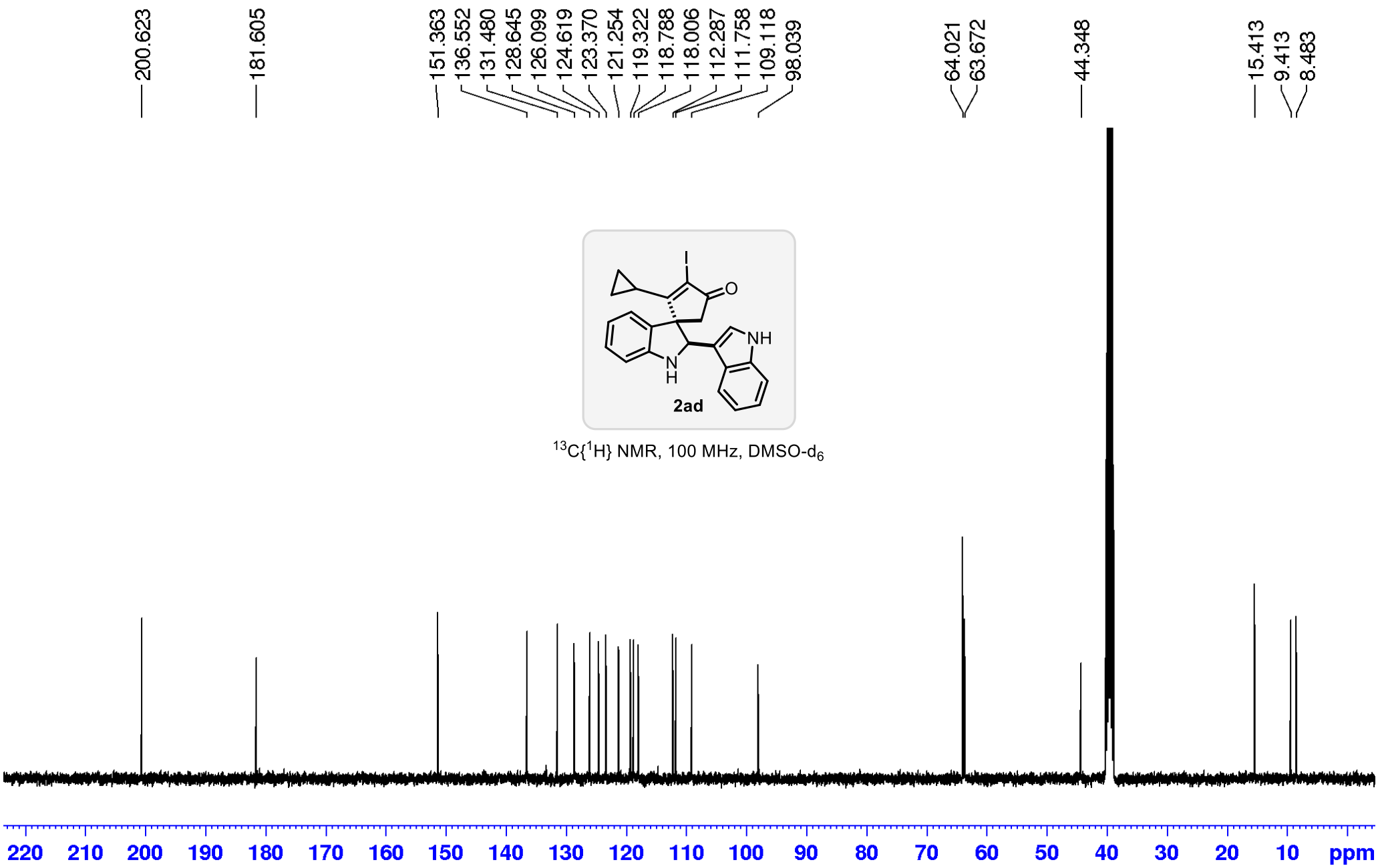
^{1}H NMR, 400 MHz, DMSO-d_6



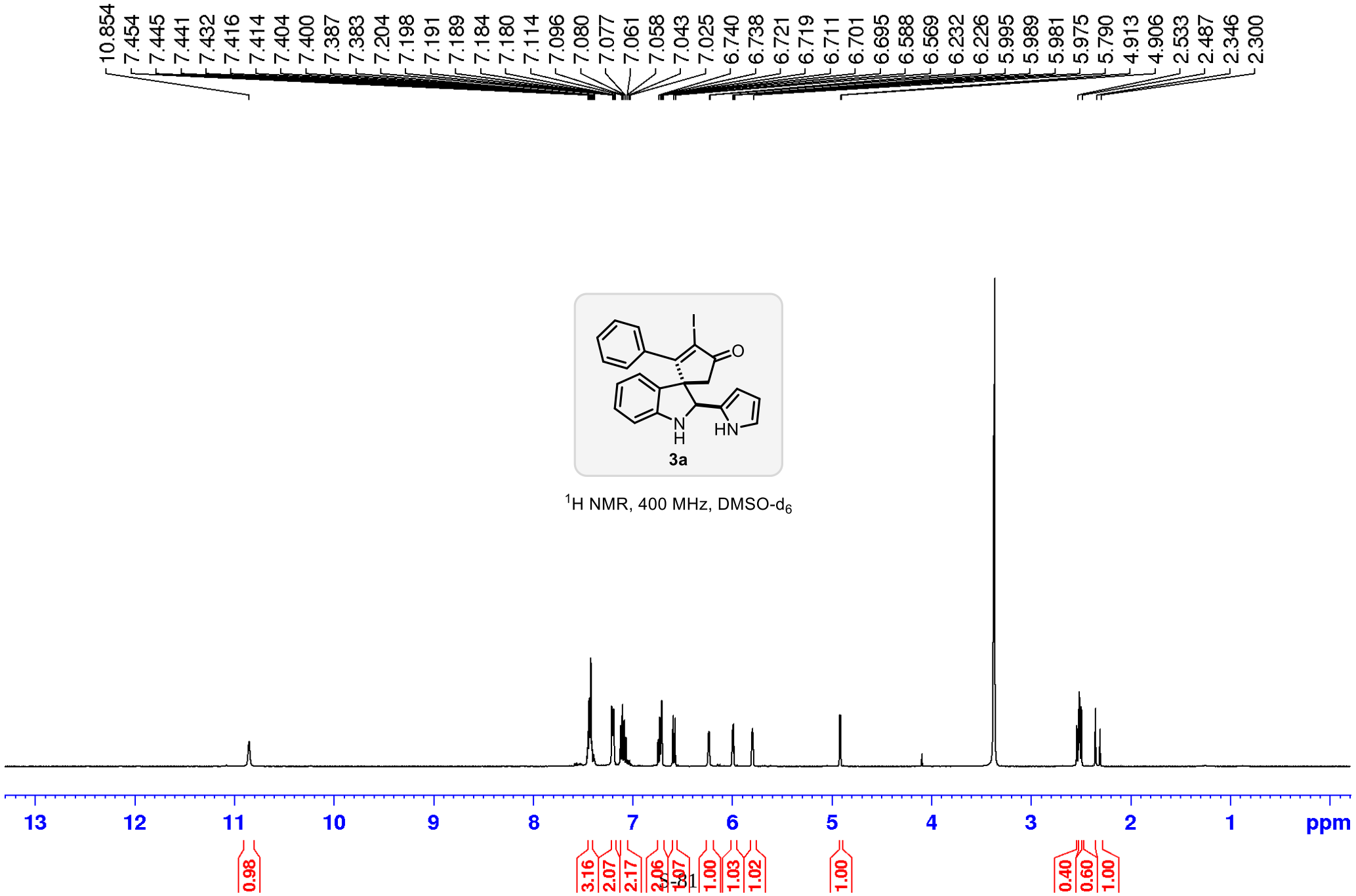
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

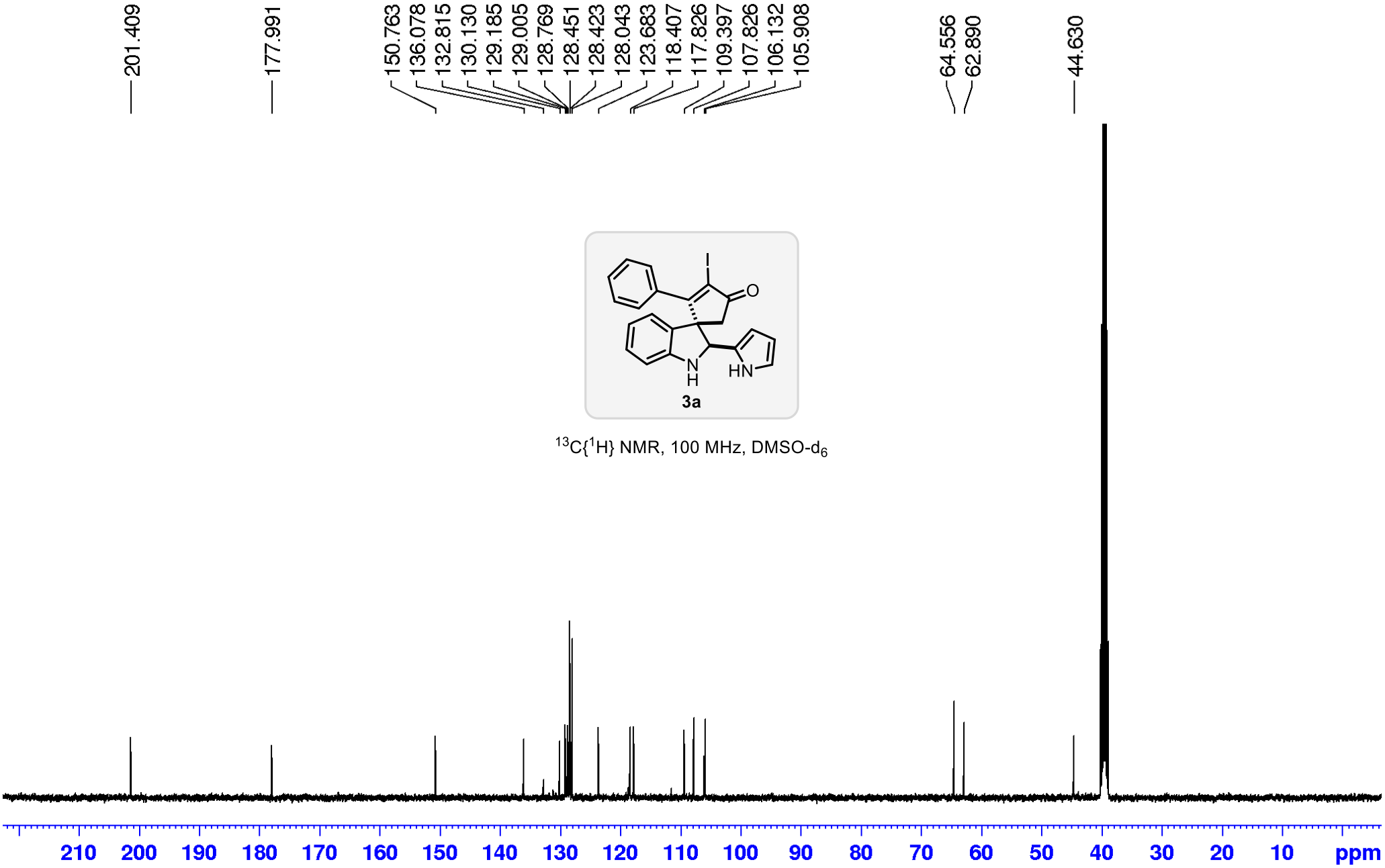


^{1}H NMR, 400 MHz, DMSO-d_6

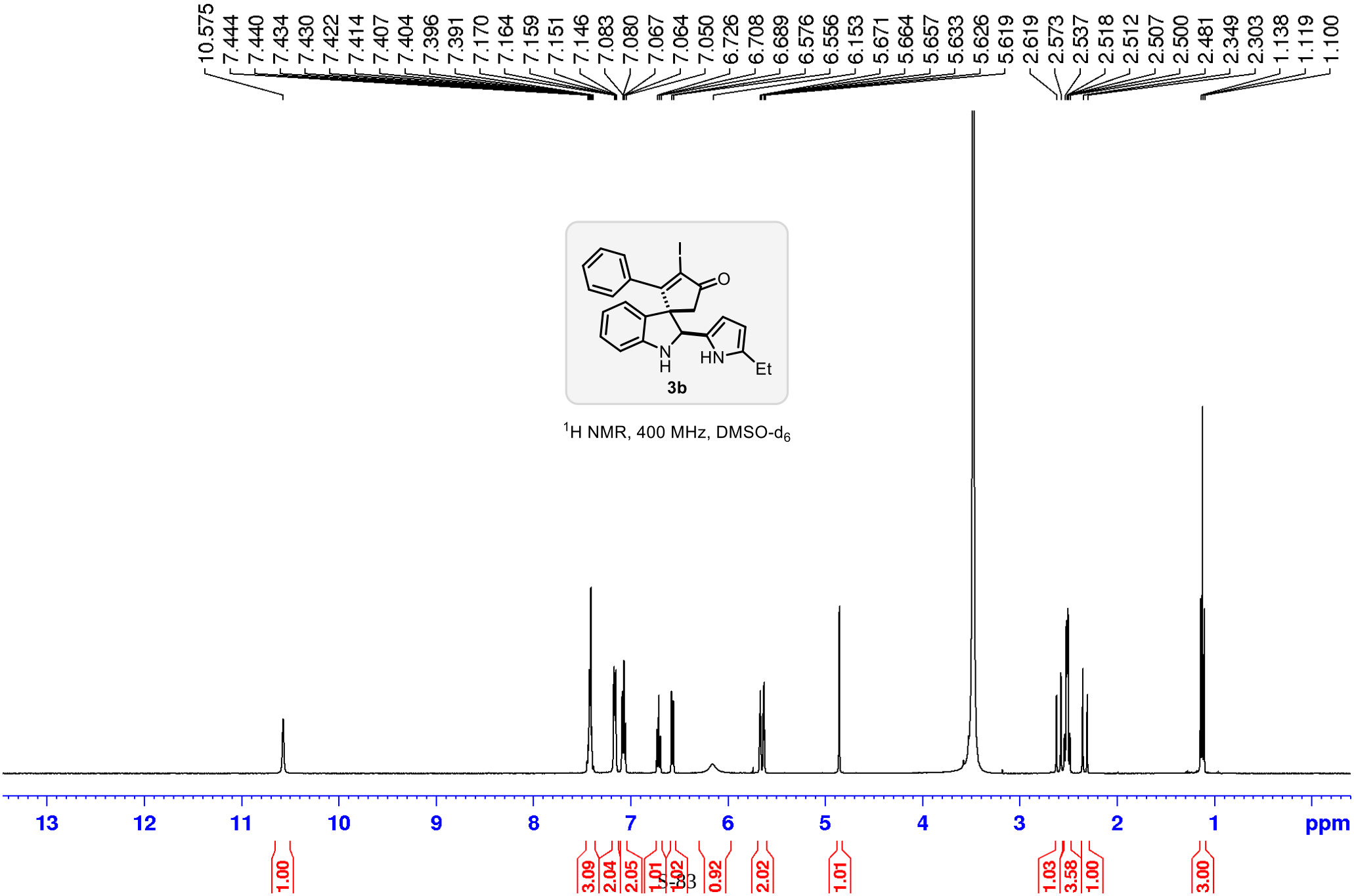


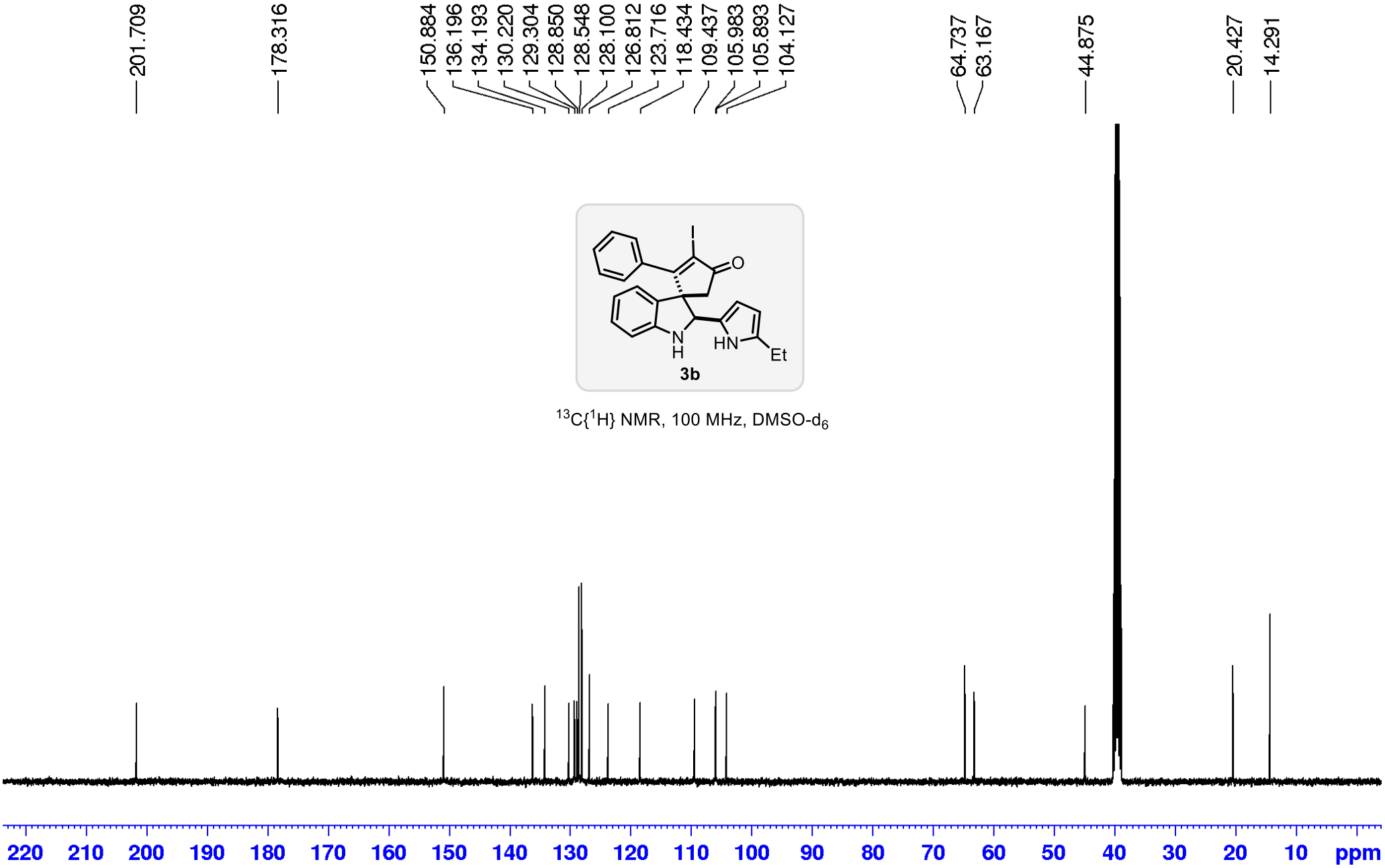
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6


10.854
7.454
7.445
7.441
7.432
7.416
7.414
7.404
7.400
7.387
7.383
7.204
7.198
7.191
7.189
7.184
7.180
7.114
7.096
7.080
7.077
7.061
7.058
7.043
7.025
6.740
6.738
6.721
6.719
6.711
6.701
6.695
6.588
6.569
6.232
6.226
5.995
5.989
5.981
5.975
5.790
4.913
4.906
2.533
2.487
2.346
2.300
I
O
N
H
HN
3a
1H NMR, 400 MHz, DMSO-d6
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
0.98
3.16
2.07
2.17
2.06
1.07
1.00
1.03
1.02
1.00
0.40
0.60
1.00

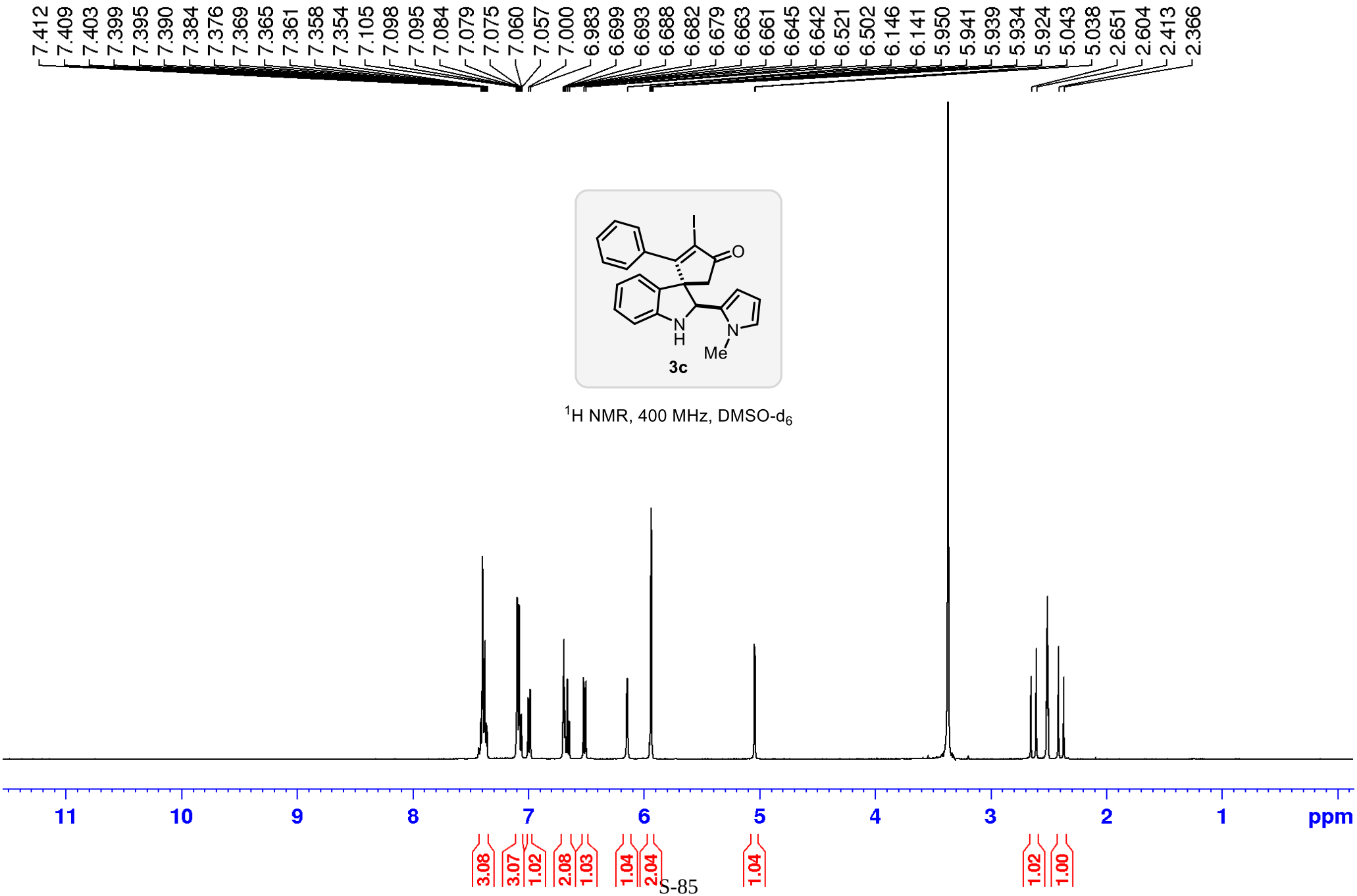


$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

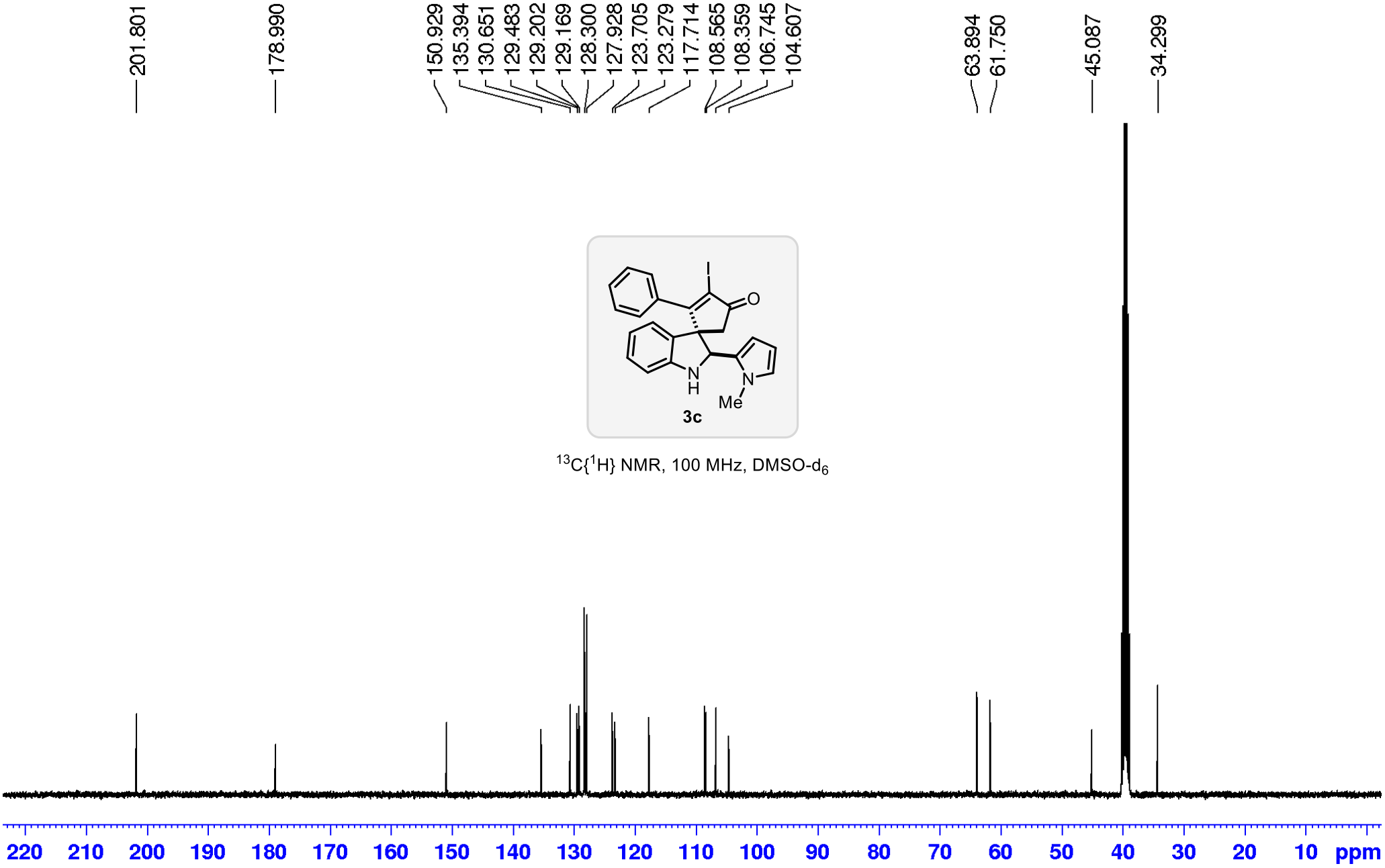

10.575
7.444
7.440
7.434
7.430
7.422
7.414
7.407
7.404
7.396
7.391
7.170
7.164
7.159
7.151
7.146
7.083
7.080
7.067
7.064
7.050
6.726
6.708
6.689
6.576
6.556
6.153
5.671
5.664
5.657
5.633
5.626
5.619
2.619
2.573
2.537
2.518
2.512
2.507
2.500
2.481
2.349
2.303
1.138
1.119
1.100
I
O
N
H
HN
Et
3b
^{1}H NMR, 400 MHz, DMSO-d$_6$
13
12
11
10
9
8
7
6
5
4
3
2
1
ppm
1.00
3.09
2.04
2.05
1.01
1.02
0.92
2.02
1.01
1.03
3.58
1.00
3.00



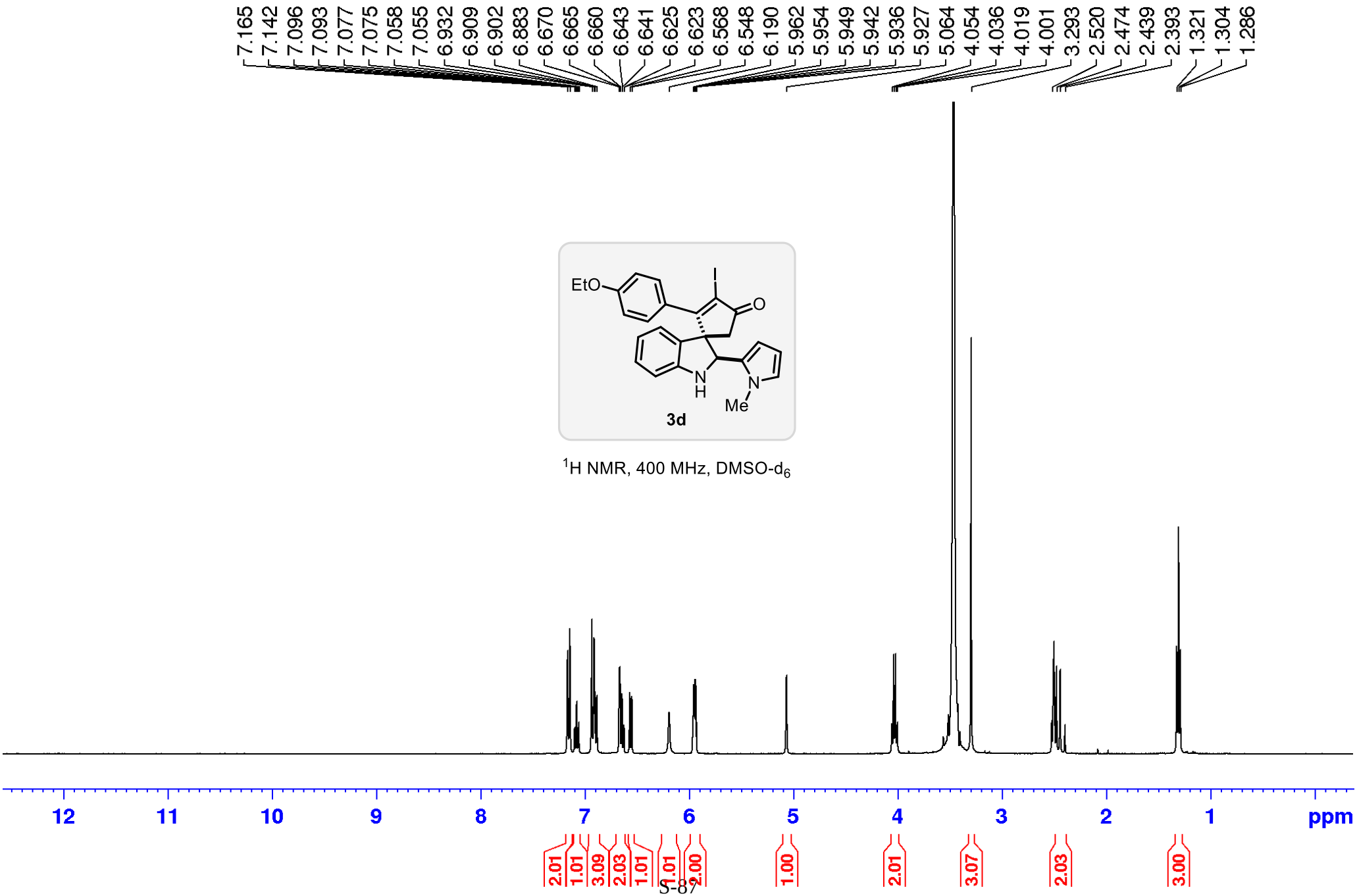
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6



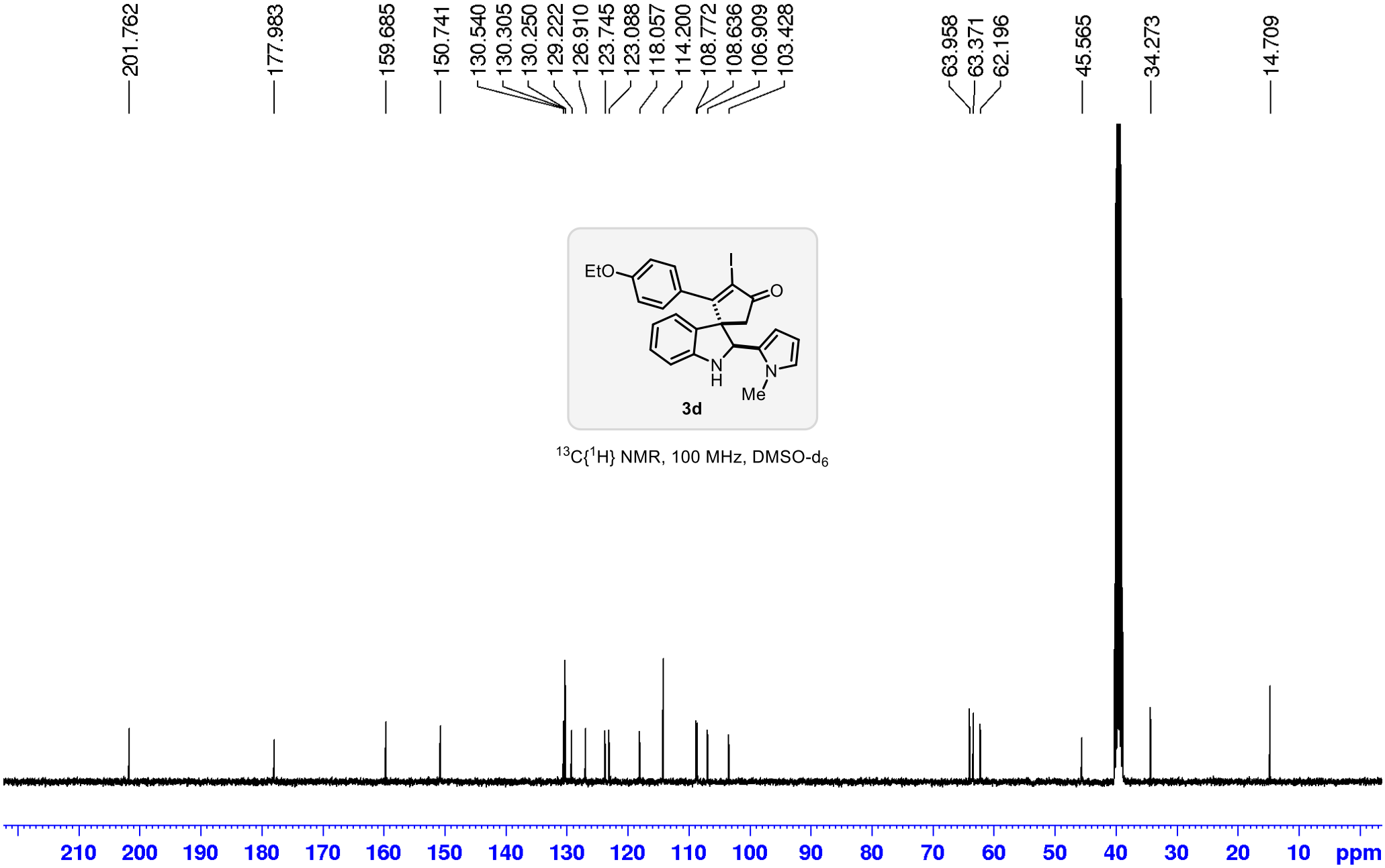
^{1}H NMR, 400 MHz, DMSO-d_6



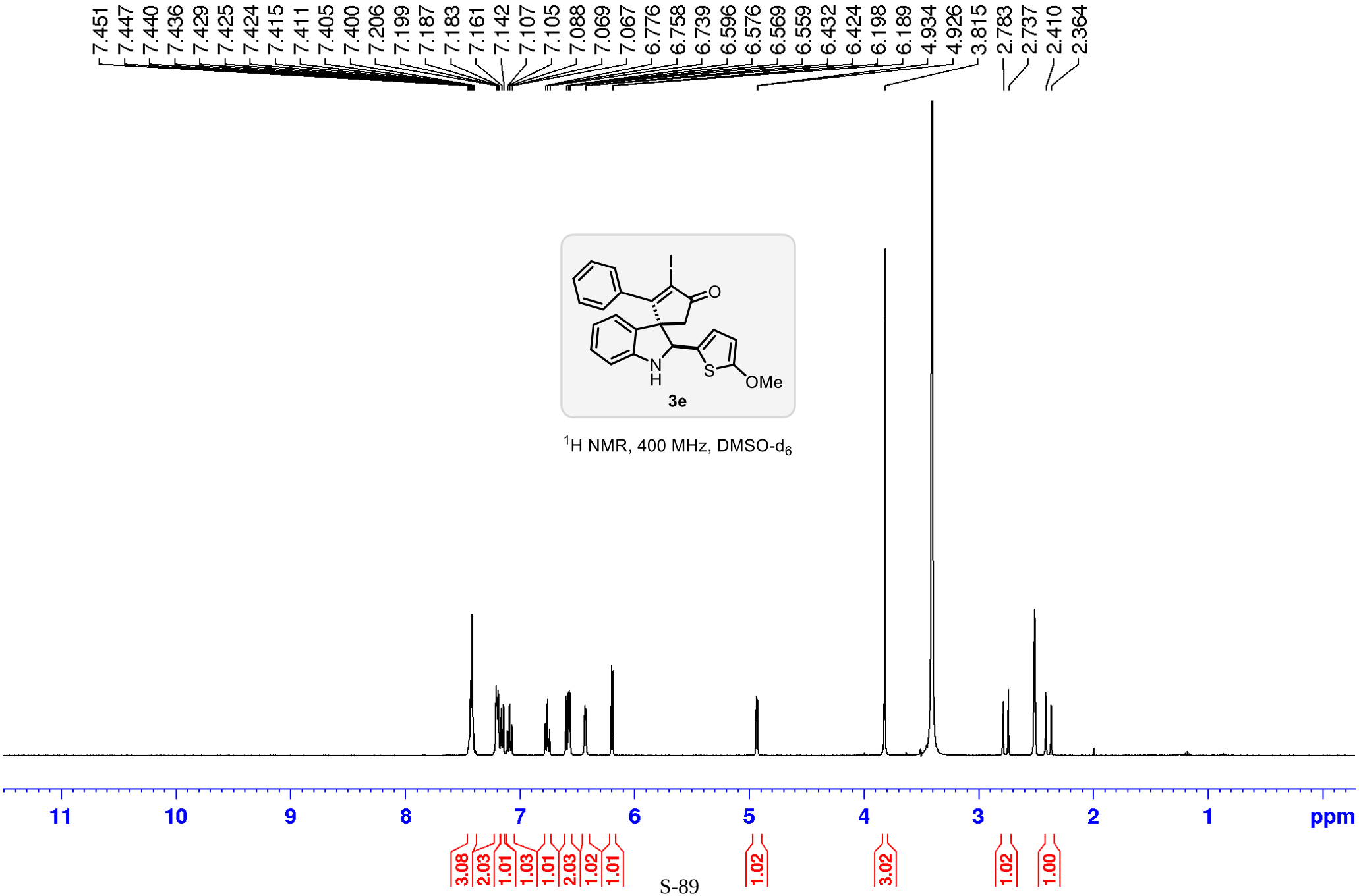
$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

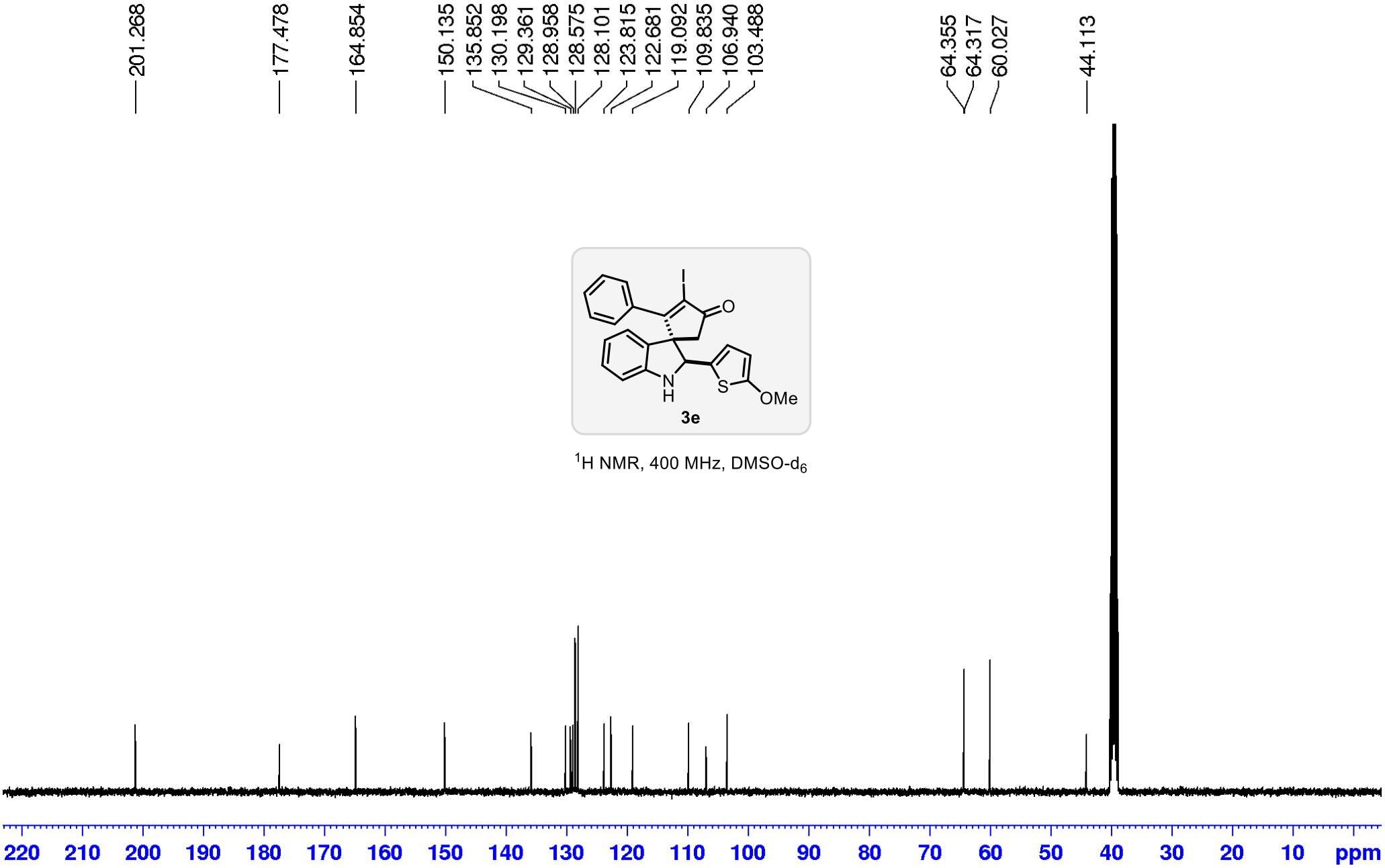


^{1}H NMR, 400 MHz, DMSO-d_6

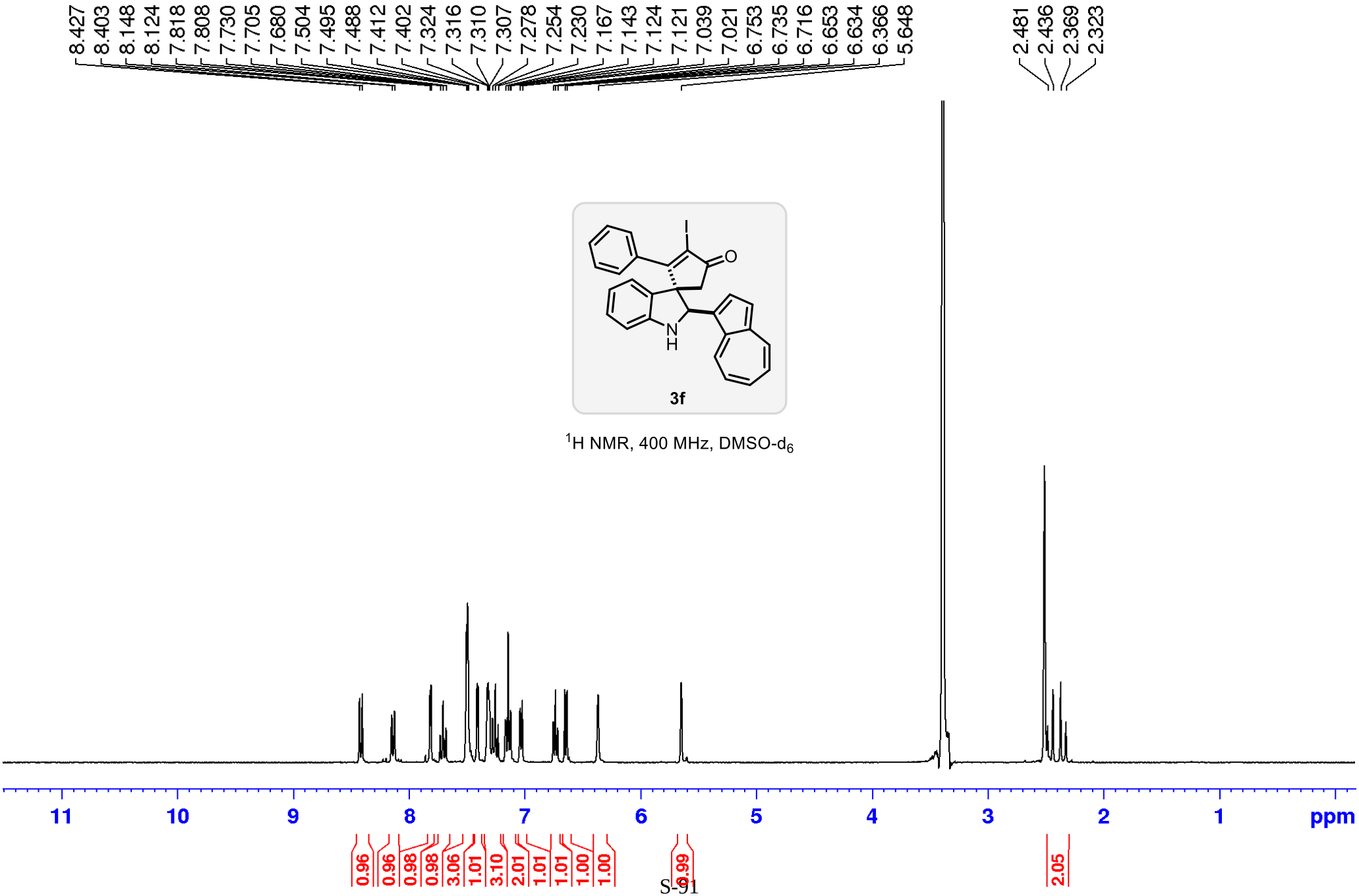


$^{13}C\{^{1}H\}$ NMR, 100 MHz, DMSO-d_6

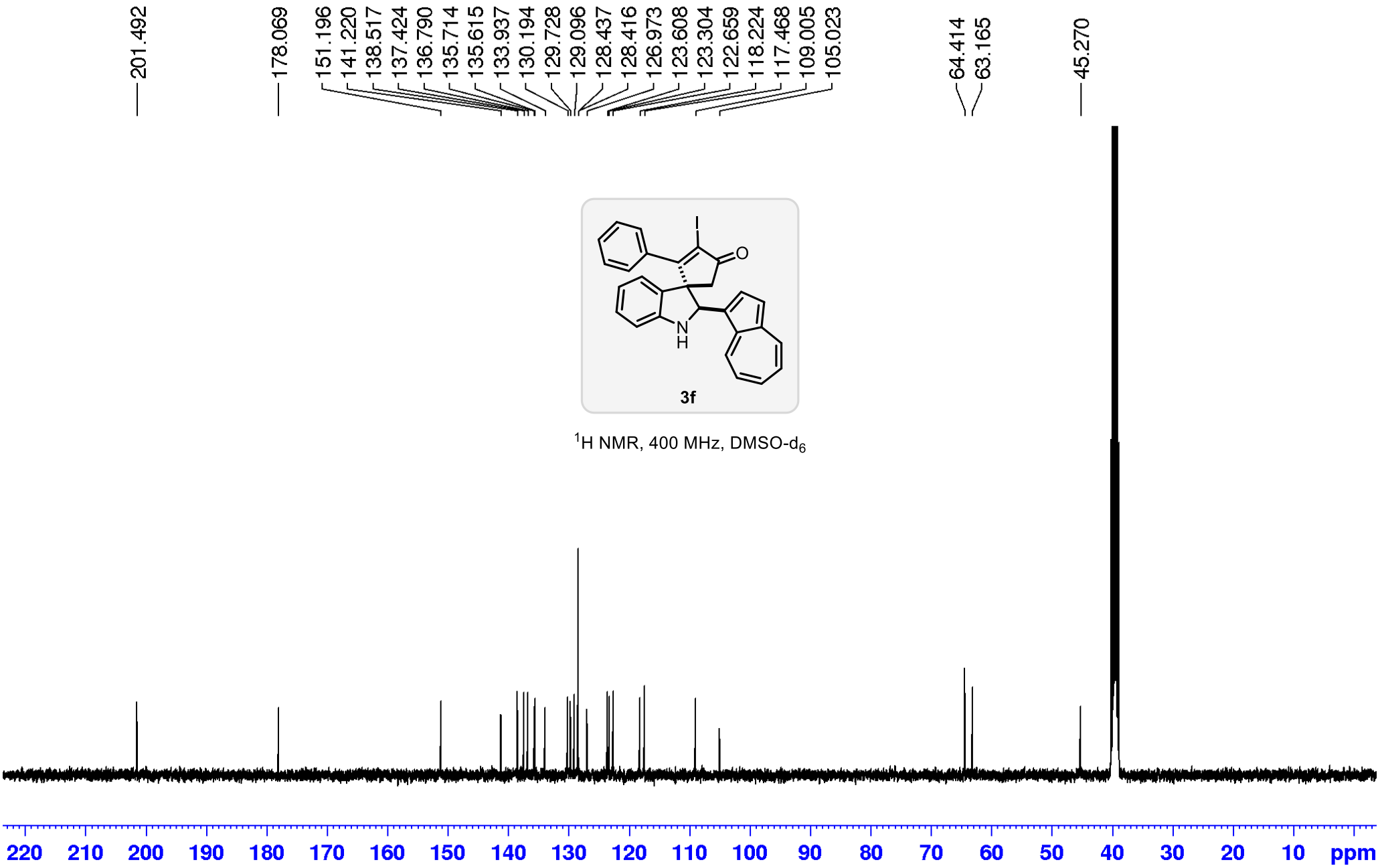

7.451
7.447
7.440
7.436
7.429
7.425
7.424
7.415
7.411
7.405
7.400
7.206
7.199
7.187
7.183
7.161
7.142
7.107
7.105
7.088
7.069
7.067
6.776
6.758
6.739
6.596
6.576
6.569
6.559
6.432
6.424
6.198
6.189
4.934
4.926
3.815
2.783
2.737
2.410
2.364
I
O
N
H
S
OMe
3e
^{1}H NMR, 400 MHz, DMSO-d$_6$
11
10
9
8
7
6
5
4
3
2
1
ppm
3.08
2.03
1.01
1.03
1.01
2.03
1.02
1.01
1.02
3.02
1.02
1.00



^{1}H NMR, 400 MHz, DMSO-d_6



^{1}H NMR, 400 MHz, DMSO-d_6


201.492
178.069
151.196
141.220
138.517
137.424
136.790
135.714
135.615
133.937
130.194
129.728
129.096
128.437
128.416
126.973
123.608
123.304
122.659
118.224
117.468
109.005
105.023
64.414
63.165
45.270
O
N
H
3f
^{1}H NMR, 400 MHz, DMSO-d$_6$
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 ppm