Supporting Information

Enantioselective Synthesis of Indanone Spiro-Isochromanone Derivatives via Dinuclear Zinc-Catalyzed Michael/Transesterification Tandem Reaction

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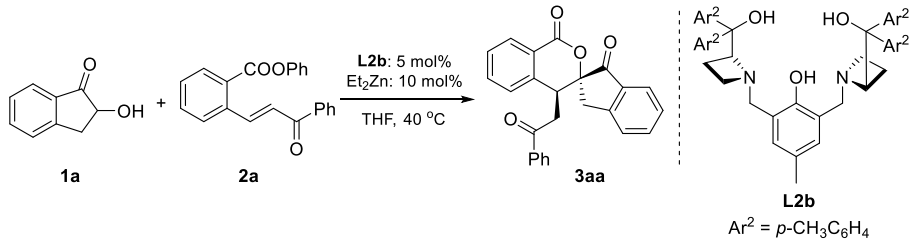
1. Results of Nonlinear Effect Studies

Table S1 Results of Nonlinear Effect Studies[a]



1a **2a** **3aa** **L2b**

Ar^2 = *p*-$CH_3C_6H_4$

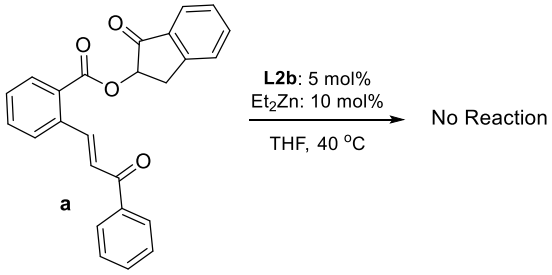
entry	ee of **L2b**	yield (%)	ee[b] of **3aa** (%)
1	0	90	0
2	20	92	54
3	40	89	64
4	60	91	84
5	80	87	92
6	100	92	99

[a]Reaction conditions: unless otherwise noted, all reactions were conducted with **1a** (0.2 mmol), **2** (0.21 mmol), 5 mol % of **L2b**, 10 mol % of $ZnEt_2$ (1 M in hexanes) in THF (1.5 mL) under nitrogen at 40 °C. [b] Determined by chiral HPLC.

2. Discussion of Mechanism

To provide the evidence for reaction sequence, involving Michael addition then transesterification, We tried several methods for the separation of the intermediate Ⅲ (Scheme 4) from the reaction system, but failed. Therefore, an ester compound **a** was prepared. Under the optimal conditions, the compound **a** was examined for the intramolecular Michael addition reaction.[1] As a result, the reaction did not take place. This result suggested that the reaction sequence was not transesterification then Michael addition.

According to the Trost's work[2] (*Org. Lett.*, 2012, **14**, 2446) and our previous work[3] (*Org. Lett.*, 2019, **21**, 7089), which involved a catalytic asymmetric Michael addition-transesterification reaction sequence in the presence of the same type of chiral catalyst, we proposed the similar reaction mechanism, as in Scheme 4.



Scheme S1 Reaction of intramolecular reaction of ester **a**.

Reference:

1 X. Wang, G. Li, Y. Yang, J. Jiang, Z. Feng and P. Zhang, *Chin. Chem. Lett.*, 2019, **31**, 711.

2 B. M. Trost and K. Hirano, *Org. Lett.*, 2012, **14**, 2446.

3 M.-M. Liu, X.-C. Yang, Y.-Z. Hua, J.-B. Chang and M.-C. Wang, *Org. Lett.*, 2019, **21**, 7089.

3. X-ray Crystal Datas of 3ac

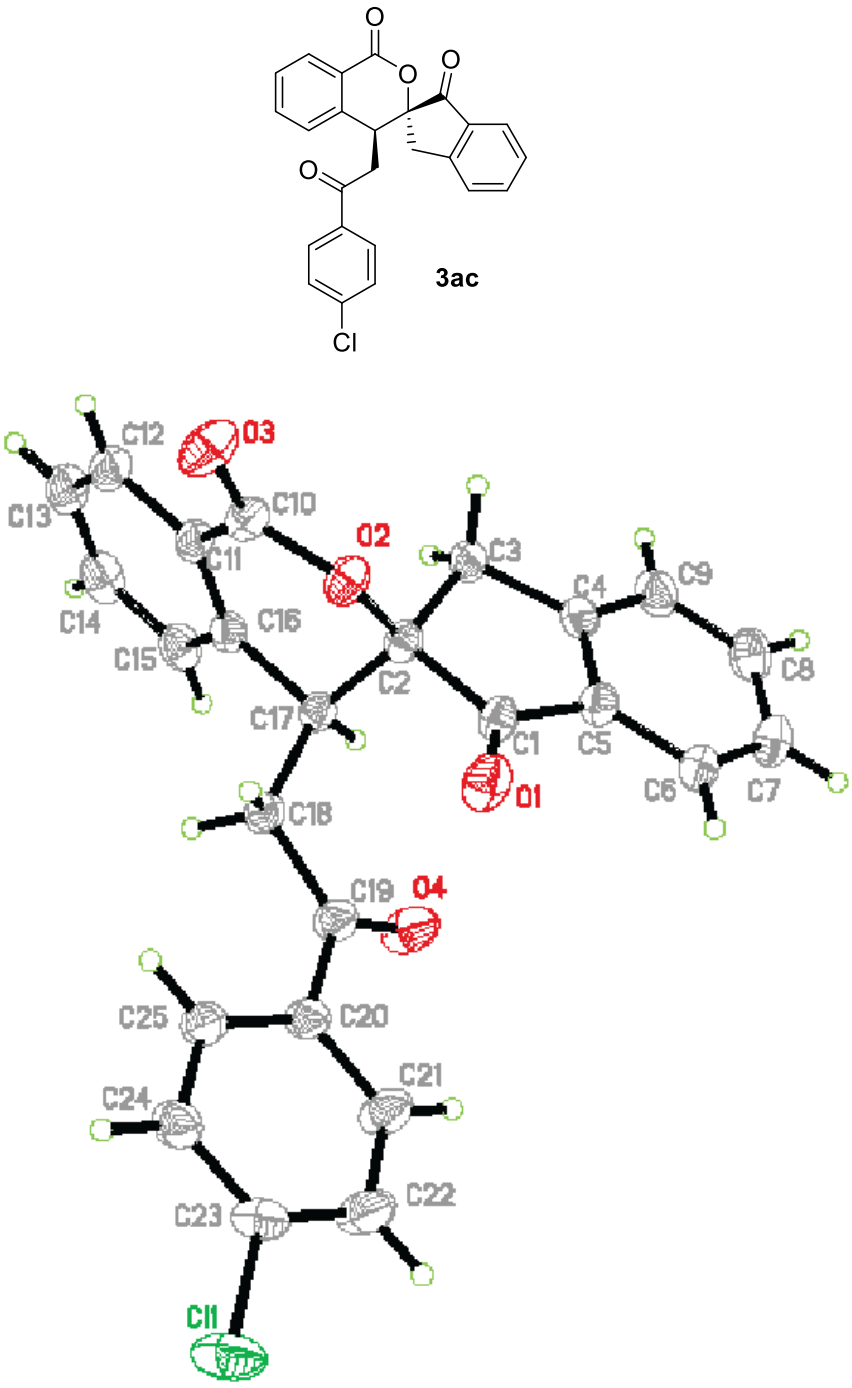


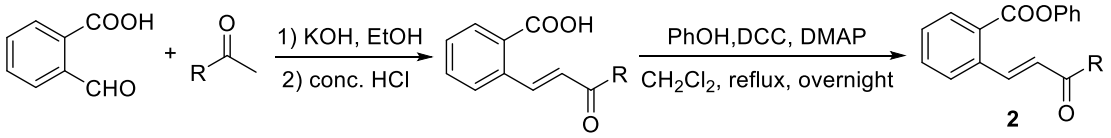
Figure *S1*. Crystal Structure of Compound **3ac** (CCDC: 1921049). The thermal ellipsoids are drawn at a 50% probability level.

Single crystals suitable for XRD were obtained by vapor diffusion experiment: compound **3ac** (45 mg) was dissolved in 2 mL trichloromethane and 6 mL hexane in a glass vial, which was then placed in sealed glass container with 10 ml of hexane. Crystals were obtained in 1 day.

Table 1 Crystal data and structure refinement for 20190118.

Identification code	20190118
Empirical formula	$C_{25}H_{17}ClO_4$
Formula weight	416.83
Temperature/K	293(2)
Crystal system	monoclinic
Space group	C2
a/Å	17.9619(4)
b/Å	9.8922(2)
c/Å	22.6104(5)
α/°	90
β/°	96.832(2)
γ/°	90
Volume/Å^3	3988.97(15)
Z	8
ρ_{calc}g/cm^3	1.388
μ/mm^{-1}	1.948
F(000)	1728.0
Crystal size/mm^3	0.17 × 0.13 × 0.1
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/°	9.92 to 134.15
Index ranges	$-21 \leq h \leq 20$, $-11 \leq k \leq 11$, $-27 \leq l \leq 25$
Reflections collected	17206
Independent reflections	7132 [R_{int} = 0.0290, R_{sigma} = 0.0310]
Data/restraints/parameters	7132/1/541
Goodness-of-fit on F^2	1.036
Final R indexes [I>=2σ (I)]	R_1 = 0.0392, wR_2 = 0.1060
Final R indexes [all data]	R_1 = 0.0423, wR_2 = 0.1099
Largest diff. peak/hole / e Å^{-3}	0.24/-0.21
Flack parameter	-0.007(7)

4. Synthesis of *ortho*-ester chalcones 2a-s.



To a solution of 2-carboxybenzaldehyde (3 g, 20 mmol), substituted acetophenone (20 mmol) and EtOH (20 mL) at 0 ^{o}C was added a solution of potassium hydroxide (1.5 equiv) in EtOH. The first 1 equiv. of the potassium hydroxide solution was added dropwise over 20 min. The last third was added as one portion and the reaction was stirred at room temperature for 2 h. The precipitate was collected by filtration, washed twice with cold EtOH, once with ether and dried under vacuum to furnish the potassium salt. To a solution of potassium salt in H_2O (100 mL) at room temperature was added a solution of concentrated HCl. Then a great deal of precipitation appeared and the reaction was stirred for 3 h. Then precipitate was collected by filtration, washed thrice with distilled water and dried under vacuum to furnish the *ortho*-carboxy chalcone which was used as an intermediate, without further purification. To a solution of *ortho*-carboxy chalcone (10 mmol, 1.0 equiv), phenol (1.88 g, 20 mmol, 2.0 equiv) and DMAP(1.46 g, 12 mmol, 1.2 equiv) in CH_2Cl_2 (1M) was added DCC (3.10 g, 15 mmol, 1.5 equiv) at room temperature. The resulting mixture was refluxed overnight. The heterogeneous reaction mixture was cooled to room temperature and filtered through a short pad of silica gel with suction and the product was eluted with ethyl acetate. The filtrate was washed with aqueous NaOH and brine, dried over Na_2SO_4, and concentrated in vacuo. Then the pale yellow product was purified by column chromatography on silica gel (EtOAc:petroleum ether = 1:4) to give the corresponding product **2**.

Phenyl (*E*)-2-(3-oxo-3-phenylprop-1-en-1-yl)benzoate (2a). Pale yellow solid; yield 70% (2.30 g); mp 35.2-35.8 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (d, J = 15.8 Hz, 1H), 8.23-8.20 (m, 1H), 8.00-7.98 (m, 2H), 7.77 (d, J = 7.8 Hz, 1H), 7.68-7.63 (m, 1H), 7.57-7.53 (m, 2H), 7.47-7.41 (m, 4H), 7.33-7.28 (m, 2H), 7.25-7.21 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.2, 165.2, 150.7, 144.0, 137.84, 137.79, 133.1, 132.8, 131.4, 129.7, 129.6, 129.4, 128.8, 128.6, 128.3, 126.12, 126.08, 121.7. IR (neat) ν 2929, 1726, 1660, 1601, 1592, 1564, 1477, 1455, 1447, 1288, 1243, 1215, 1194, 1120,1047, 1016 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{16}O_3Na^+$ 351.0992; Found 351.0995.

Phenyl (*E*)-2-(3-(4-fluorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2b). Pale yellow solid; yield 77% (2.67 g); mp 79.4-80.3 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, J = 15.8 Hz, 1H), 8.24-8.21 (m, 1H), 8.05-8.01 (m, 2H), 7.76 (d, J = 7.7 Hz, 1H), 7.68-7.63 (m, 1H), 7.57-7.53 (m, 1H), 7.46-7.41 (m, 2H), 7.30-7.21 (m, 4H), 7.14-7.09 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.6, 165.6 (d, J = 252.6 Hz), 165.1, 150.7, 144.2, 137.7, 134.1 (d, J = 2.9 Hz), 133.1, 131.46, 131.37, 129.7, 129.6, 129.3, 128.3, 126.2, 125.8, 121.7, 115.8, 115.6. IR (neat) ν 2922, 1730, 1660, 1606,

1594, 1568, 1481, 1238, 1221, 1191, 1120, 1059, 1044, 1026, 973 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}FO_3Na^+$ 369.0897; Found 369.0899.

Phenyl (*E*)-2-(3-(4-chlorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2c). Pale yellow solid; yield 73% (2.65 g); mp 85.3-86.0 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.54 (d, J = 15.8 Hz, 1H), 8.24-8.21 (m, 1H), 7.95-7.91 (m, 2H), 7.75 (d, J = 7.7 Hz, 1H), 7.67-7.63 (m, 1H), 7.58-7.53 (m, 1H), 7.46-7.40 (m, 4H), 7.30-21 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.0, 165.1, 150.7, 144.5, 139.2, 137.7, 136.1, 133.1, 131.5, 130.2, 129.8, 129.6, 129.3, 128.9, 128.3, 126.2, 126.7, 121.7. IR (neat) ν 2923, 1716, 1662, 1603, 1589, 1488, 1399, 1319, 1277, 1206, 1177, 1087, 978 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}ClO_3Na^+$ 385.0602; Found 385.0605.

Phenyl (*E*)-2-(3-(4-bromophenyl)-3-oxoprop-1-en-1-yl)benzoate (2d). Pale yellow solid; yield 71% (2.89 g); mp 88.6-89.0 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, J = 15.8 Hz, 1H), 8.24-8.21 (m, 1H), 7.87-7.74 (m, 2H), 7.75 (d, J = 7.7 Hz, 1H), 7.68-7.63 (m, 1H), 7.59-7.54 (m, 3H), 7.46-7.42 (m, 2H), 7.31-26 (m, 1H), 7.24-7.20 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.2, 165.1, 150.7, 144.6, 137.7, 136.5, 133.2, 131.9, 131.5, 130.3, 129.8, 129.6, 129.3, 128.3, 127.9, 126.2, 125.7, 121.7. IR (neat) ν 2925, 1716, 1662, 1603, 1583, 1490, 1477, 1396, 1247, 1178, 1043, 977 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}BrO_3Na^+$ 429.0097; Found 429.0098.

Phenyl (*E*)-2-(3-oxo-3-(*p*-tolyl)prop-1-en-1-yl)benzoate (2e). Pale yellow solid; yield 65% (2.22 g); mp 85.1-86.0 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, J = 15.7 Hz, 1H), 8.22-8.19 (m, 1H), 7.91 (d, J = 8.2 Hz, 2H), 7.77 (d, J = 7.8 Hz, 1H), 7.67-7.62 (m, 1H), 7.56-7.52 (m, 1H), 7.45-7.41 (m, 2H), 7.33-7.22 (m, 6H), 2.41 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.6, 165.2, 150.7, 143.6, 143.5, 137.9, 135.3, 133.0, 131.4, 129.6, 129.4, 129.3, 128.9, 128.3, 126.1, 121.7, 21.7. IR (neat) ν 3068, 3037, 1732, 1656, 1594, 1564, 1478, 1454, 1319, 1285, 1184, 1056, 1014, 999, 974 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{23}H_{18}O_3Na^+$ 365.1148; Found 365.1152.

Phenyl (*E*)-2-(3-(4-methoxyphenyl)-3-oxoprop-1-en-1-yl)benzoate (2f): Pale yellow solid; yield 69% (2.47 g); mp 99.8-100.4 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.51 (d, J = 15.7 Hz, 1H), 8.21-8.19 (m, 1H), 8.03-7.99 (m, 2H), 7.76 (d, J = 7.8 Hz, 1H), 7.67 -7.62 (m, 1H), 7.56-7.51 (m, 1H), 7.45-7.41 (m, 2H), 7.33-7.23 (m, 4H), 6.95-6.90 (m, 2H), 3.86 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.3, 165.3, 163.4, 150.8, 143.0, 138.0, 133.0, 131.4, 131.1, 130.7, 129.6, 129.5, 129.4, 128.3, 126.1, 126.0, 121.7, 113.8, 55.5. IR (neat) ν 3071, 3013, 1750, 1654, 1570, 1478, 1421, 1319, 1294, 1240, 1193, 1034, 968 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{23}H_{18}O_4Na^+$ 381.1097; Found 381.1099.

Phenyl (*E*)-2-(3-(3-fluorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2g). Pale yellow solid; yield 62% (2.14 g); mp 40.6-41.1 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, J = 15.7 Hz, 1H), 8.22-8.20 (m, 1H), 7.78-7.73 (m, 2H), 7.68-7.62 (m, 2H), 7.56-7.51 (m, 1H), 7.44-7.37 (m, 3H), 7.28-7.21 (m, 5H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.6 (d, J = 1.9 Hz), 165.1, 162.8 (d, J = 246.4 Hz), 150.7, 144.7, 139.9 (d, J = 6.1 Hz), 137.6, 133.2, 131.5, 130.3 (d, J = 7.7 Hz), 129.9, 129.6, 129.4, 128.3, 126.2, 125.4, 124.5 (d, J = 2.9 Hz), 121.7, 119.8 (d, J = 21.3 Hz), 115.5 (d, J = 22.2 Hz). IR (neat) ν

3073, 3044, 2934, 1731, 1603, 1582, 1567, 1477, 1438, 1286, 1244, 1191, 1121, 1068, 973 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}FO_3Na^+$ 369.0897; Found 369.0901.

Phenyl (*E*)-2-(3-(3-chlorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2h). Pale yellow solid; yield 65% (2.36 g); mp 100.0-100.8 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, J = 15.8 Hz, 1H), 8.24-8.21 (m, 1H), 7.96-7.95 (m, 1H), 7.88-7.85 (m, 1H), 7.76 (d, J = 7.8 Hz, 1H), 7.68-7.64 (m, 1H), 7.58-7.50 (m, 2H), 7.45-7.36 (m, 3H), 7.30-7.22 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.7, 165.1, 150.7, 144.9, 139.4, 137.6, 134.9, 133.1, 132.7, 131.4, 129.94, 129.88, 129.6, 129.4, 128.8, 128.3, 126.8, 126.1, 125.4, 121.7. IR (neat) ν 3054, 2930, 2848, 1736, 1660, 1602, 1587, 1489, 1334, 1296, 1161, 1119, 1056, 1041, 980 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}ClO_3Na^+$ 385.0602; Found 385.0605.

Phenyl (*E*)-2-(3-(3-bromophenyl)-3-oxoprop-1-en-1-yl)benzoate (2i). Pale yellow solid; yield 67% (2.73 g); mp 117.5-118.3 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, J = 15.8 Hz, 1H), 8.24-8.22 (m, 1H), 8.11 (t, 1.7 Hz, 1H), 7.92-7.90 (m, 1H), 7.76 (d, J = 7.7 Hz, 1H), 7.69-7.64 (m, 2H), 7.58-7.54 (m, 1H), 7.46-7.41 (m, 2H), 7.34-7.28 (m, 2H), 7.26-7.21 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 189.7, 165.1, 150.7, 144.9, 139.6, 137.6, 135.6, 134.1, 131.7, 131.4, 130.2, 129.9, 129.6, 129.4, 128.4, 127.3, 126.1, 125.4, 122.9, 121.7. IR (neat) ν 1734, 1659, 1603, 1587, 1489, 1322, 1295, 1240, 1160, 1041, 1023, 980 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}BrO_3Na^+$ 429.0097; Found 429.0099.

Phenyl (*E*)-2-(3-(3-methoxyphenyl)-3-oxoprop-1-en-1-yl)benzoate (2j). Pale yellow solid; yield 58% (2.08 g); mp 83.1-83.6 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.54 (d, J = 15.8 Hz, 1H), 8.22-8.19 (m, 1H), 7.75 (d, J = 7.7 Hz, 1H), 7.67-7.62 (m, 1H), 7.58-7.52 (m, 3H), 7.44-7.40 (m, 2H), 7.36-7.32 (m, 1H), 7.29-7.21 (m, 4H), 7.11-7.08 (m, 1H), 3.83 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.9, 165.2, 159.8, 150.7, 144.0, 139.2, 137.8, 133.1, 131.4, 129.67, 129.56, 129.4, 128.3, 126.1, 121.7, 121.4, 119.6, 112.9, 55.5. IR (neat) ν 3064, 3006, 1728, 1651, 1595, 1577, 1566, 1488, 1336, 1278, 1238, 1193, 1153, 1059, 1038, 981 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{23}H_{18}O_4Na^+$ 381.1097; Found 381.1098.

Phenyl (*E*)-2-(3-(2-chlorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2k). Pale yellow solid; yield 52% (1.89 g); mp 77.5-78.2 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.28 (d, J = 16.1 Hz, 1H), 8.20-8.17 (m, 1H), 7.76-7.74 (m, 1H), 7.67-7.62 (m, 1H), 7.56-7.52 (m, 1H), 7.47-7.32 (m, 5H), 7.30-7.22 (m, 2H), 7.13-7.10 (m, 2H), 6.98 (d, J = 16.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.2, 165.1, 150.6, 145.6, 138.6, 137.0, 133.1, 131.6, 131.4, 131.3, 130.2, 130.0, 129.56, 129.53, 129.46, 129.4, 128.3, 126.8, 126.1, 121.6. IR (neat) ν 1730, 1640, 1590, 1567, 1478, 1292, 1255, 1186, 1124, 1054, 980 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}ClO_3Na^+$ 385.0602; Found 385.0603.

Phenyl (*E*)-2-(3-(2-bromophenyl)-3-oxoprop-1-en-1-yl)benzoate (2l). Pale yellow solid; yield 56% (2.28 g); mp 84.6-85.1 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, J = 16.1 Hz, 1H), 8.19-8.16 (m, 1H), 7.75 (d, J = 7.7 Hz, 1H), 7.66-7.62 (m, 1H), 7.56-7.52 (m, 2H), 7.43-7.38 (m, 3H), 7.30-7.22 (m, 3H), 7.12-7.09 (m, 2H), 6.96 (d, J = 16.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 195.1, 165.1, 150.6, 146.0, 140.6,

136.9, 133.4, 133.2, 131.6, 131.4, 130.0, 129.5, 129.4, 129.34, 129.30, 128.3, 127.3, 126.1, 121.6, 119.5. IR (neat) ν 1725, 1640, 1587, 1567, 1484, 1425, 1290, 1242, 1187, 1121, 1097, 1054, 1041, 977 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{15}BrO_3Na^+$ 429.0097; Found 429.0098.

Phenyl (*E*)-2-(3-(2-methoxyphenyl)-3-oxoprop-1-en-1-yl)benzoate (2m). Pale yellow solid; yield 47% (1.68 g); mp 59.6-60.1 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, J = 15.9 Hz, 1H), 8.17-8.14 (m, 1H), 7.74 (d, J = 7.3 Hz, 1H), 7.64-7.57 (m, 2H), 7.53-7.49 (m, 1H), 7.46-7.39 (m, 3H), 7.29-7.26 (m, 4H), 7.00-6.93 (m, 2H), 3.84 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 165.3, 158.0, 150.7, 142.4, 137.6, 132.9, 132.8, 131.3, 130.4, 130.3, 129.54, 129.52, 129.4, 128.9, 128.2, 126.0, 121.7, 120.7, 111.6, 55.7. IR (neat) ν 3067, 3040, 1725, 1638, 1594, 1568, 1488, 1461, 1434, 1289, 1241, 1161, 1069, 986 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{23}H_{18}O_4Na^+$ 381.1097; Found 381.1098.

phenyl (*E*)-2-(3-(2,4-dichlorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2n): Pale yellow solid; yield 55% (2.18 g); mp 64.3-65.1 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, J = 16.1 Hz, 1H), 8.20-8.18 (m, 1H), 7.73 (d, J = 7.7 Hz, 1H), 7.77-7.62 (m, 1H), 7.56-7.52 (m, 1H), 7.44-7.39 (m, 3H), 7.37-7.36 (d, J = 1.9 Hz, 1H), 7.31-7.24 (m, 1H), 7.22-7.19 (m, 1H), 7.13-7.10 (m, 2H), 6.95 (d, J = 16.1 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.1, 165.0, 150.6, 146.1, 136.88, 136.87, 136.80, 133.2, 132.4, 131.7, 130.5, 130.20, 130.18, 129.6, 129.3, 129.2, 128.3, 127.2, 126.2, 121.6. IR (neat) ν 3070, 3033, 1725, 1645, 1622, 1583, 1552, 1493, 1479, 1369, 1292, 1263, 1188, 1159, 1054, 987cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{14}Cl_2O_3Na^+$ 419.0212; Found 419.0217.

Phenyl (*E*)-2-(3-(3,4-dichlorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2o). Pale yellow solid; yield 62% (2.46 g); mp 84.6-85.3 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, J = 15.8 Hz, 1H), 8.26-8.23 (m, 1H), 8.08-8.07 (d, J = 2.0 Hz, 1H), 8.84-8.81 (m, 1H), 7.76 (d, J = 7.7 Hz, 1H), 7.70-7.65 (m, 1H), 7.60-7.55 (m, 1H), 7.53 (d, J = 8.3 Hz, 1H), 7.46-7.42 (m, 2H), 7.31-7.27 (m, 1H), 7.24-7.17 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.8, 165.0, 150.7, 145.3, 137.5, 137.4, 137.3, 133.3, 133.2, 131.5, 130.7, 130.0, 129.6, 129.3, 128.4, 127.8, 126.2, 125.1, 121.6. IR (neat) ν 3083, 3013, 1733, 1655, 1590, 1566, 1547, 1480, 1469, 1373, 1296, 1246, 1194, 1163, , 1121, 1058, 1029, 980 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{14}Cl_2O_3Na^+$ 419.0212; Found 419.0213.

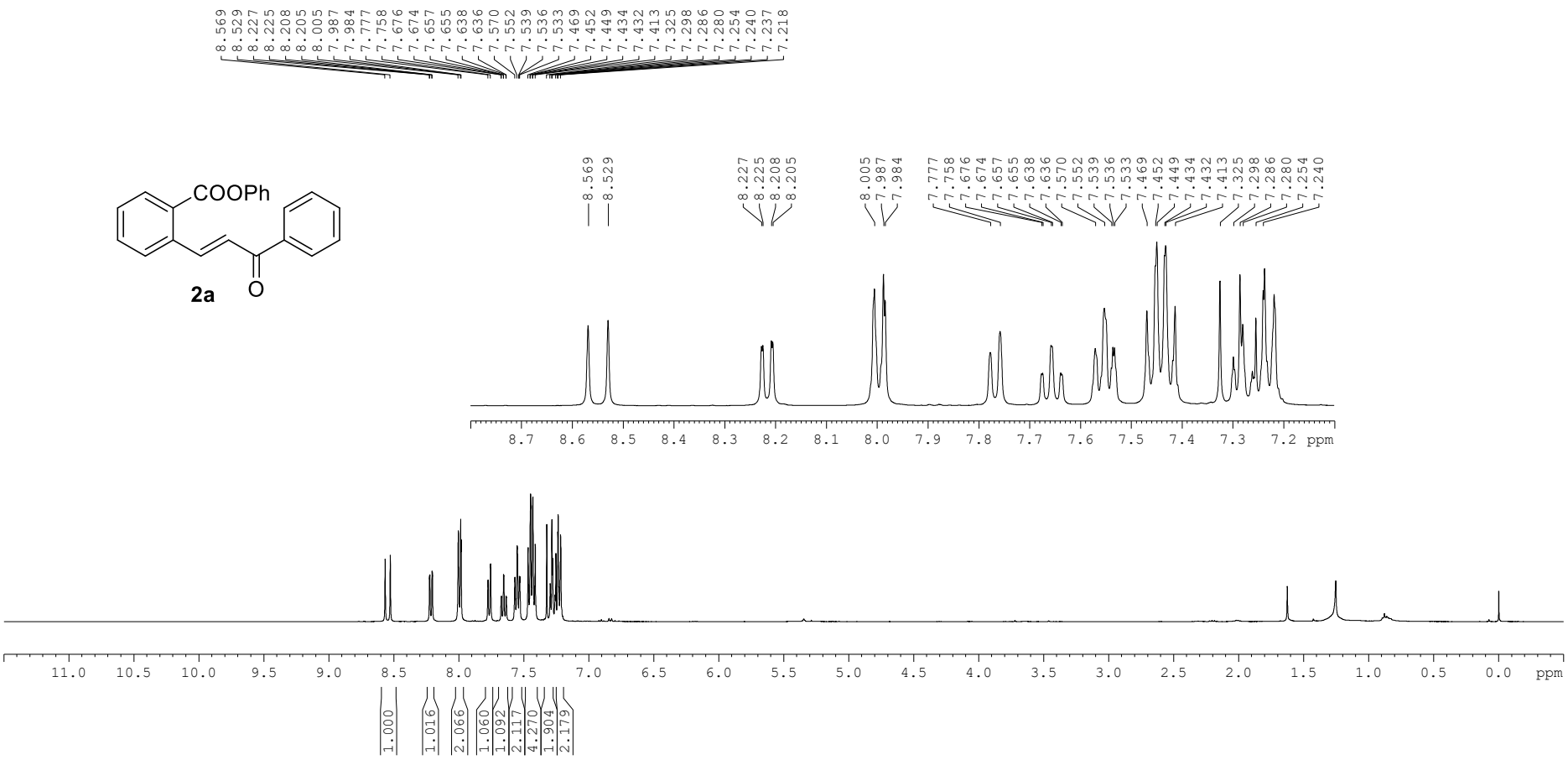
Phenyl (*E*)-2-(3-(2,4-dichloro-5-fluorophenyl)-3-oxoprop-1-en-1-yl)benzoate (2p). Pale yellow solid; yield 47% (1.95 g); mp 90.1-90.8 ^oC. ^1H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, J = 16.1 Hz, 1H), 8.23-8.20 (m, 1H), 7.74-7.72 (m, 1H), 7.68-7.63 (m, 1H), 7.59-7.54 (m, 1H), 7.45-7.40 (m, 3H), 7.31-7.25 (m, 2H), 7.15-7.12 (m, 2H), 6.95 (d, J = 16.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.6, 164.9, 156.6 (d, J = 250.7 Hz), 150.5, 146.5, 138.3 (d, J = 5.4 Hz), 136.7, 133.2, 132.0, 131.7, 130.3, 129.6, 129.3, 128.6, 128.3, 126.8 (d, J = 3.8 Hz), 126.2, 124.0 (d, J = 18.9 Hz), 121.5, 117.4 (d, J = 23.5 Hz). IR (neat) ν 3071, 3037, 1720, 1659, 1619, 1594, 1567, 1466, 1380, 1272, 1259, 1247, 1220, 1184, 1124, 1086, 1055, 977 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{22}H_{13}Cl_2FO_3Na^+$ 437.0118; Found 437.0122.

Phenyl (*E*)-2-(3-oxo-3-(thiophen-2-yl)prop-1-en-1-yl)benzoate (2q). Pale yellow liquid; yield 35% (1.17 g). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 -8.22 (m, 1H), 7.69-7.67 (m, 1H), 7.63 (d, J = 12.2 Hz, 1H), 7.58-7.56 (m, 1H), 7.51-7.47 (m, 1H), 7.44-7.39 (m, 4H), 7.28-7.24 (m, 1H), 7.22-7.19 (m, 2H), 7.04-7.02 (m, 1H), 6.78 (d, J = 12.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 184.6, 165.1, 150.8, 145.4, 142.5, 139.3, 134.2, 132.9, 132.8, 130.84, 130.80, 129.5, 128.4, 128.2, 127.5, 126.0, 124.7, 121.8. IR (neat) ν 3073, 3037, 1728, 1648, 1592, 1567, 1479, 1456, 1412, 1353, 1286, 1240, 1187, 1161, 1122, 1053, 973 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{20}H_{14}O_3SNa^+$ 357.0556; Found 357.0559.

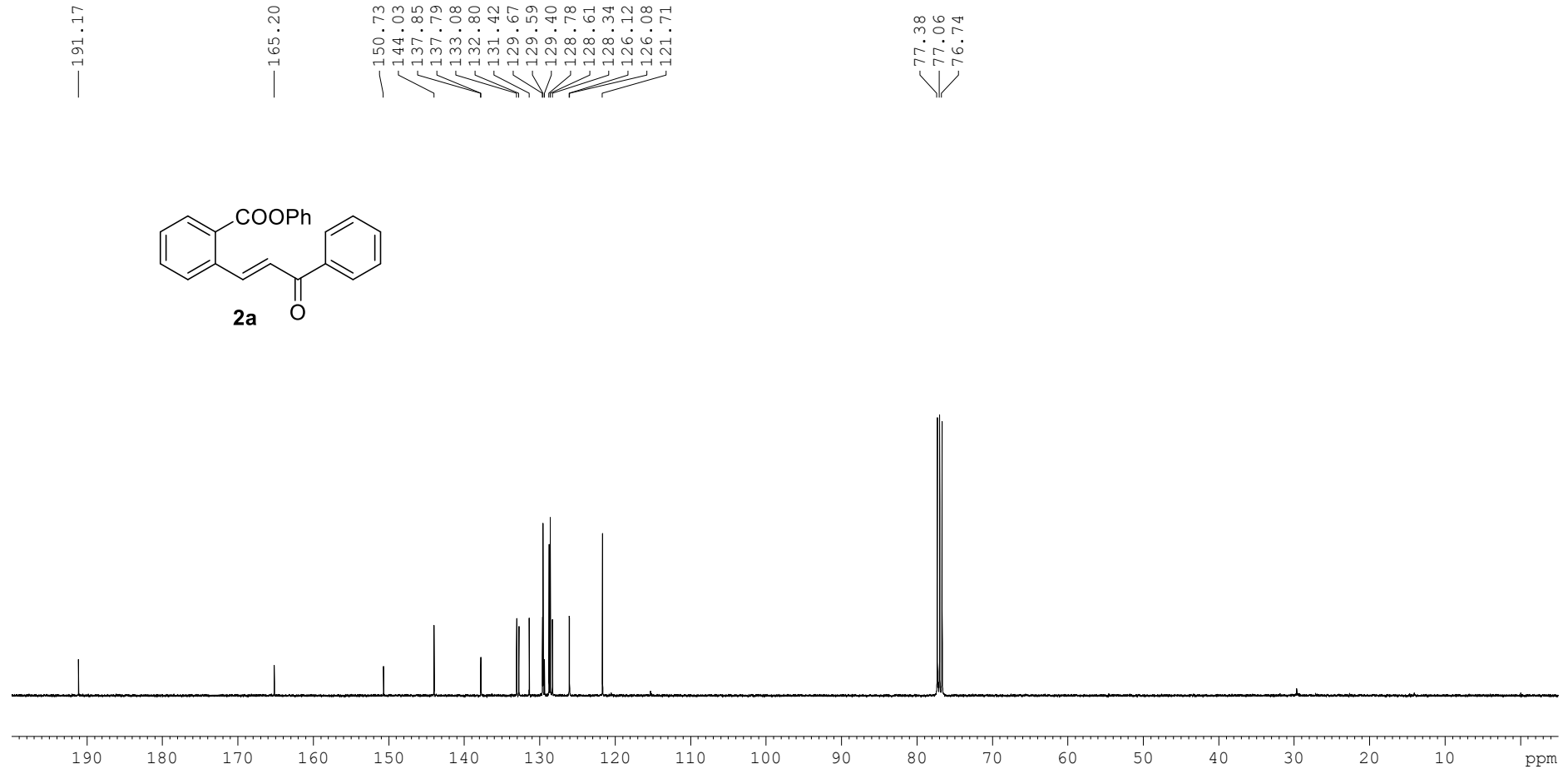
Phenyl (*E*)-2-(3-(naphthalen-2-yl)-3-oxoprop-1-en-1-yl)benzoate (2r). Pale yellow liquid; yield 60% (2.27 g); mp 97.8-98.6 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62-8.54 (m, 2H), 8.23-8.20 (m, 1H), 8.07-8.05 (m, 1H), 7.92-7.79 (m, 4H), 7.66 (t, J = 7.1 Hz, 1H), 7.59-7.49 (m, 3H), 7.45-7.38 (m, 3H), 7.28-7.21 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.0, 165.2, 150.8, 144.0, 137.9, 135.5, 135.1, 133.1, 132.5, 131.4, 130.5, 129.7, 129.60, 129.57, 129.4, 128.6, 128.4, 127.8, 126.8, 126.1, 124.7, 121.7. IR (neat) ν 3057, 3041, 2930, 1718, 1631, 1593, 1475, 1350, 1290, 1252, 1161, 1055, 974cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{26}H_{18}O_3Na^+$ 401.1148; Found 401.1150.

Phenyl (*E*)-2-(3-oxobut-1-en-1-yl)benzoate (2s). Pale yellow liquid; yield 30% (0.86 g). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (d, J = 16.3 Hz, 1H), 8.28-8.26 (m, 1H), 7.68-7.61 (m, 2H), 7.56-7.52 (m, 1H), 7.47-7.43 (m, 2H), 7.32-7.28 (m, 1H), 7.24-7.21 (m, 2H), 6.54 (d, J = 16.4 Hz, 1H), 2.39 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 165.1, 150.7, 142.9, 137.7, 133.4, 131.6, 130.9, 129.75, 129.69, 128.4, 128.3, 126.2, 121.7, 26.7. IR (neat) ν 3070, 2928, 1723, 1673, 1594, 1475, 1235, 1187, 1160, 979, 745 cm^{-1}; HRMS (ESI-TOF) m/z: $[M + Na]^+$ Calcd for $C_{17}H_{14}NaO_3^+$ 289.0835; Found 289.0838.

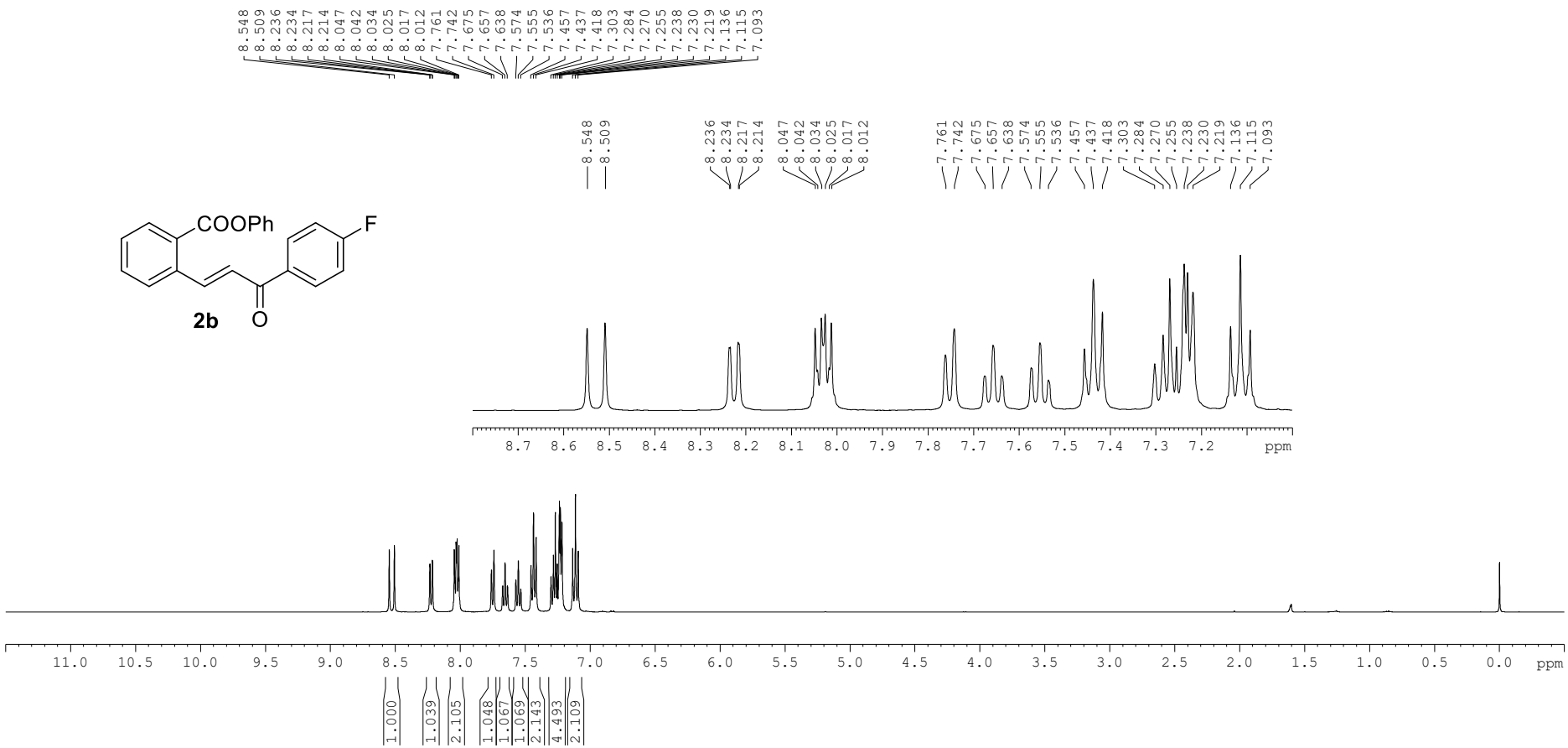
5. Copies of NMR spectra for *ortho*-ester chalcones 2a-s



^{1}H NMR of compound **2a**



^{13}C NMR of compound **2a**



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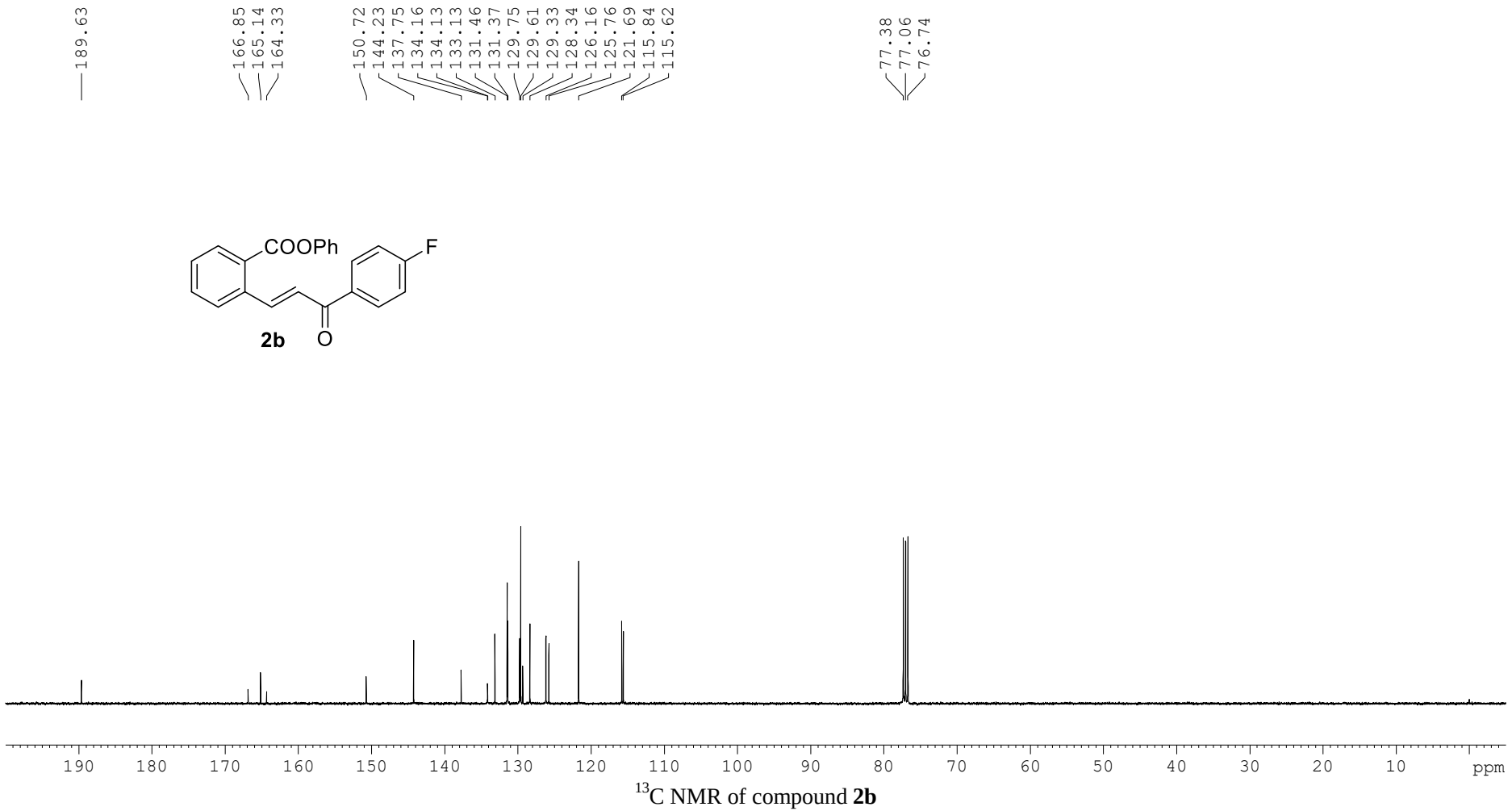
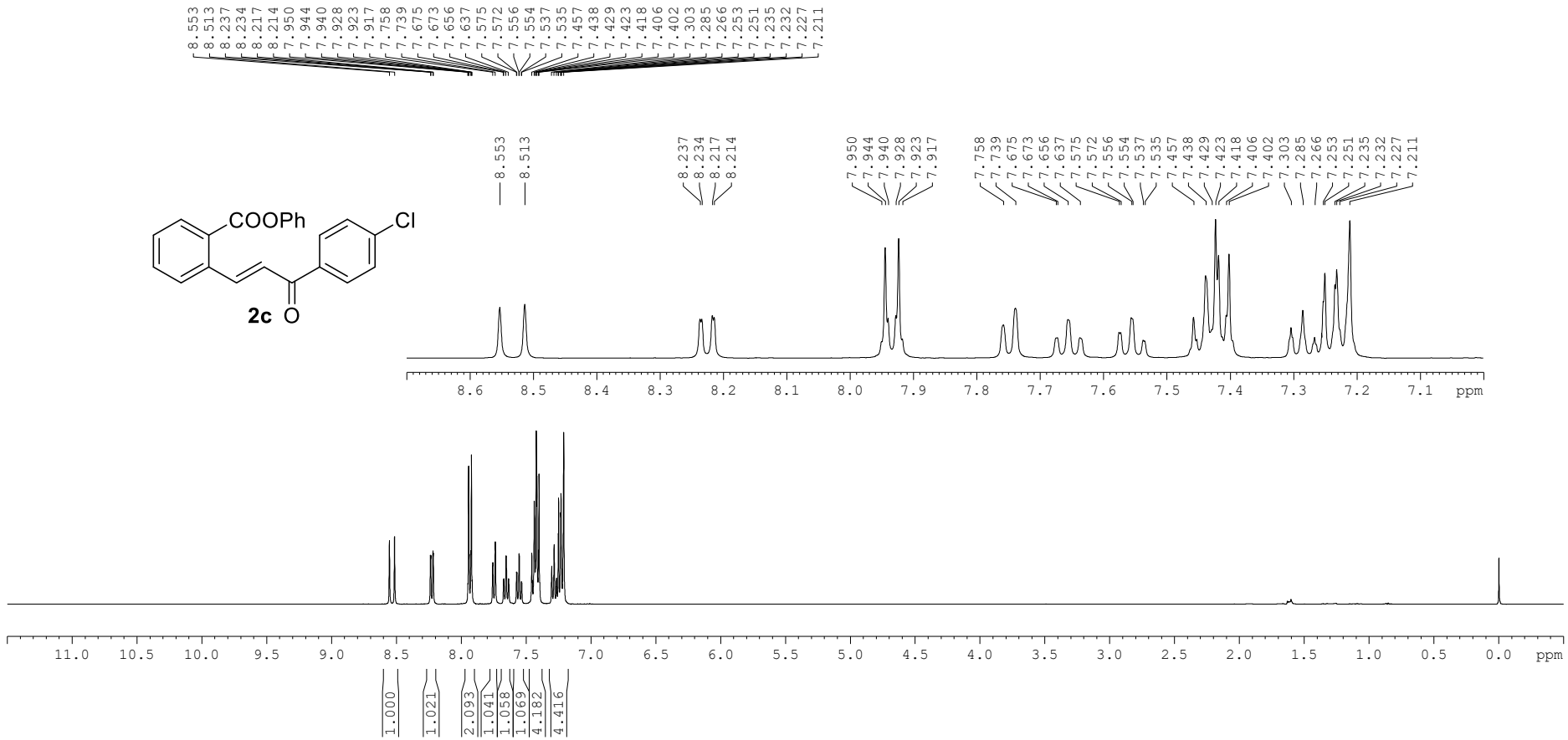
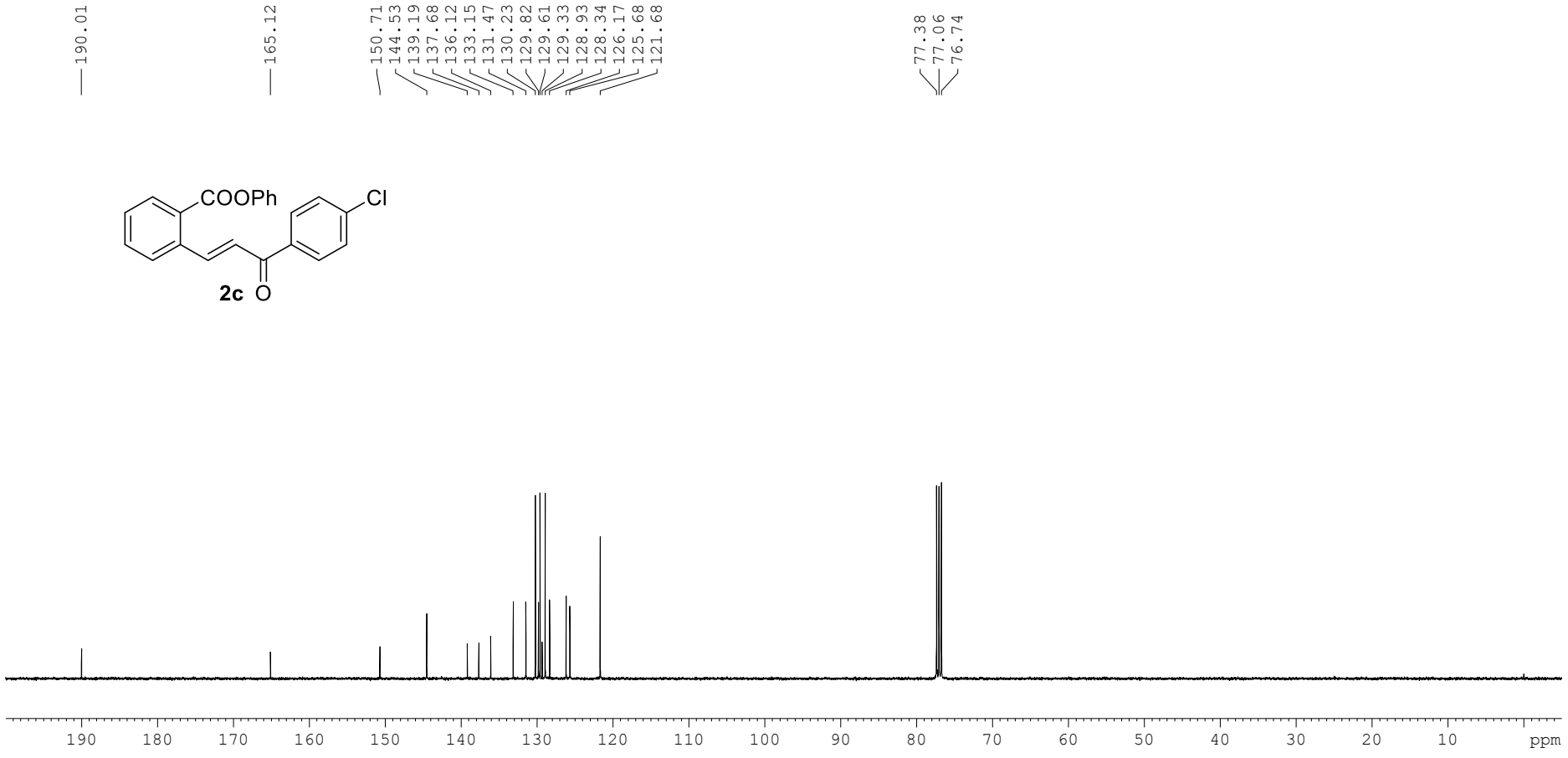


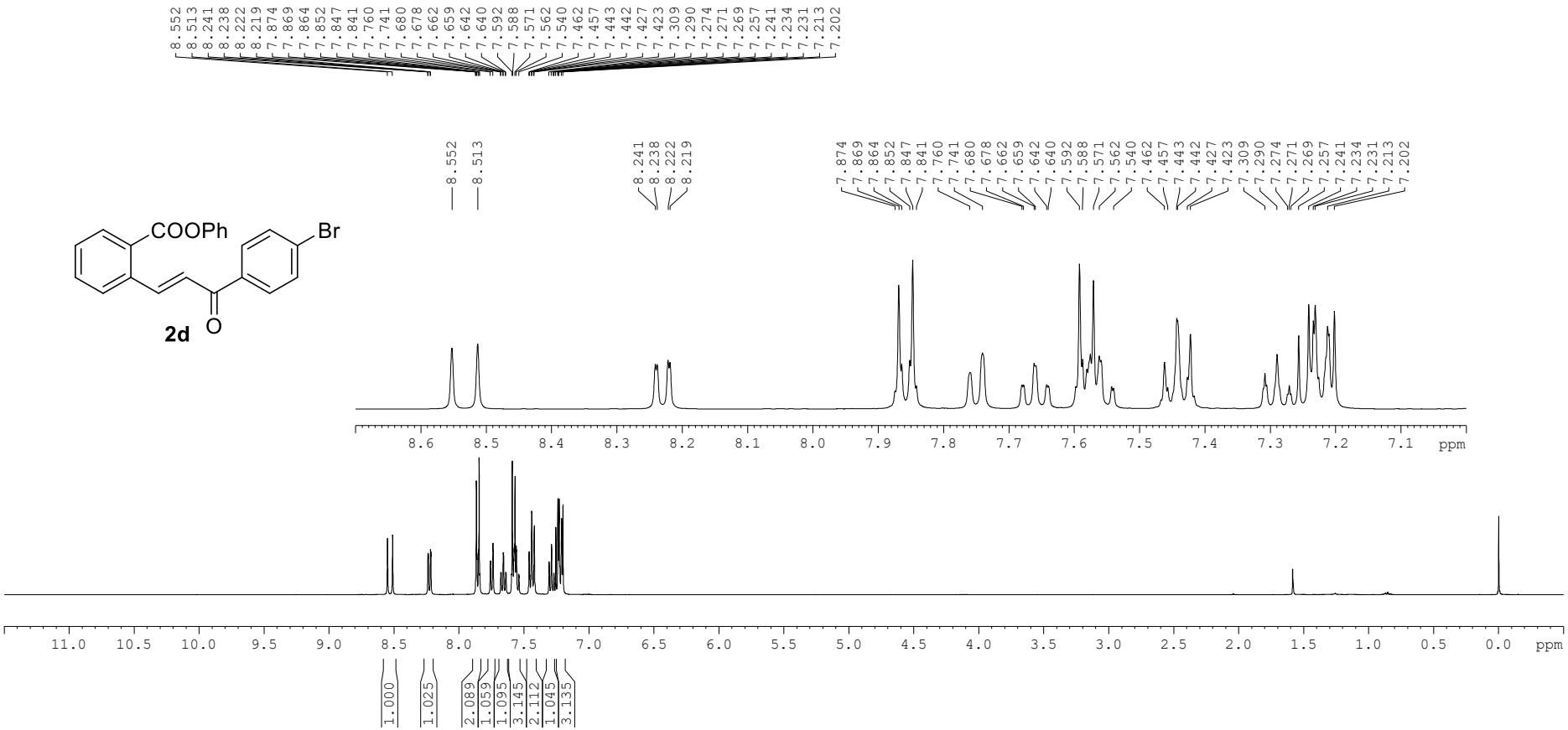
^{13}C NMR of compound **2b**



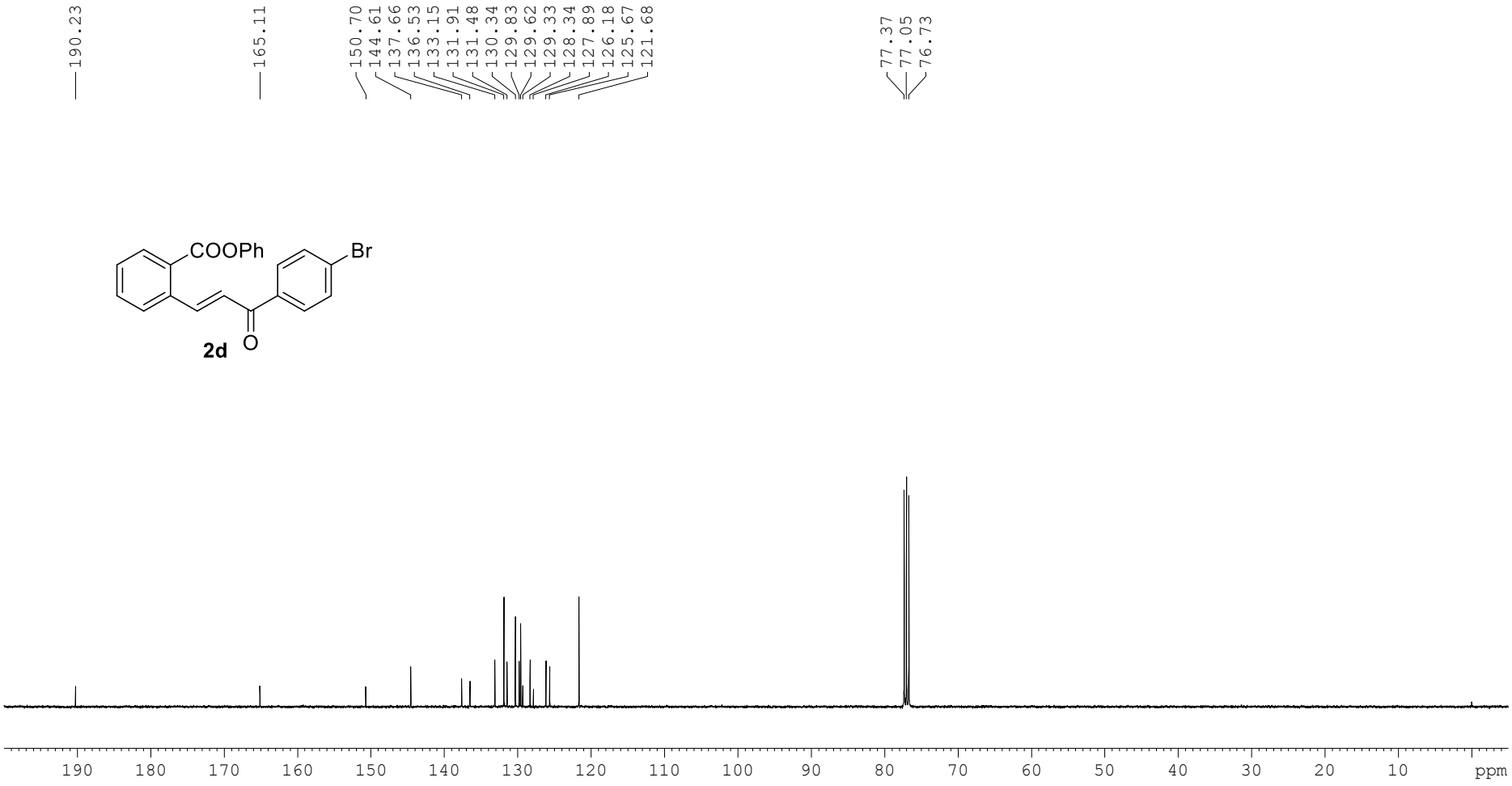
^{1}H NMR of compound **2c**



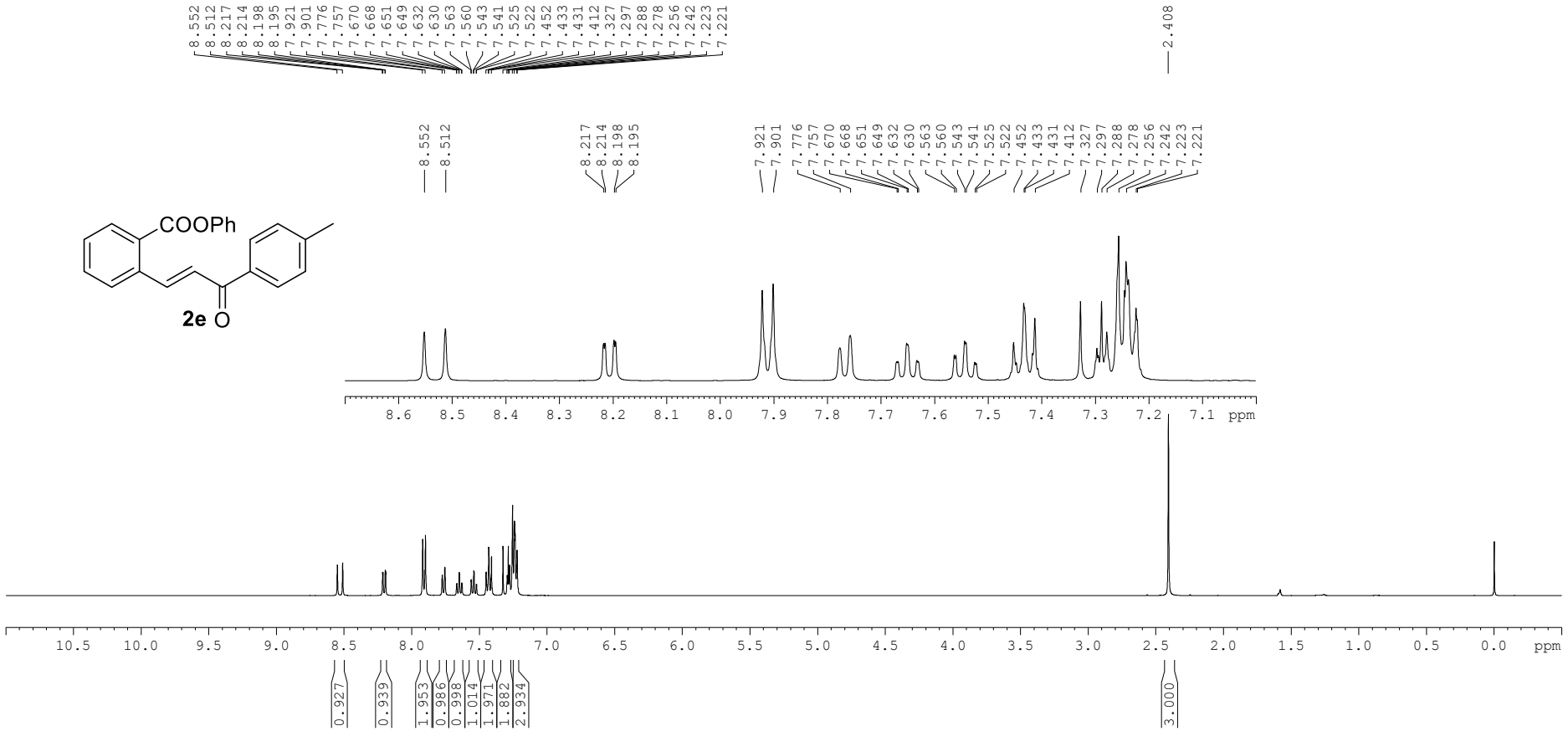
^{13}C NMR of compound **2c**



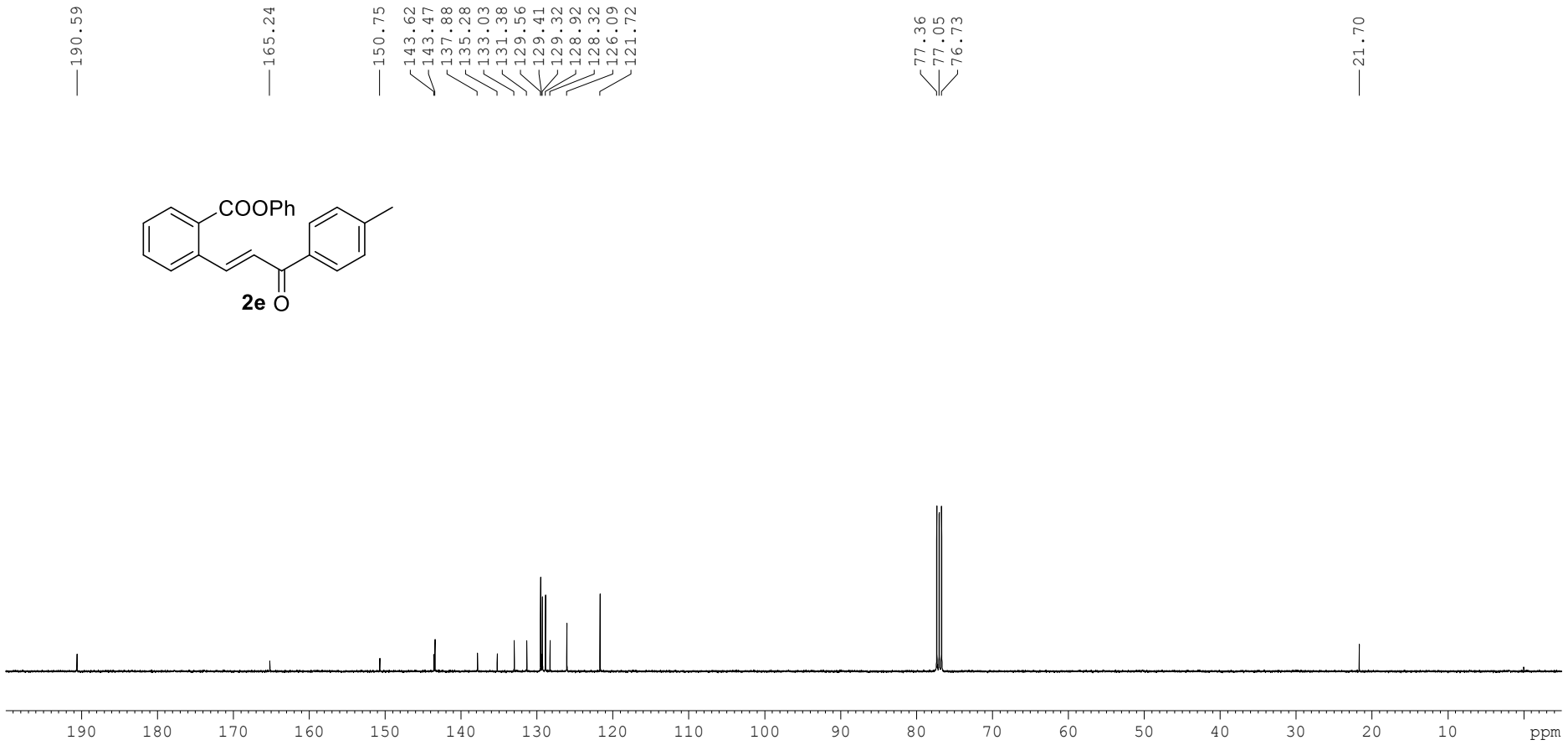
^{1}H NMR of compound **2d**



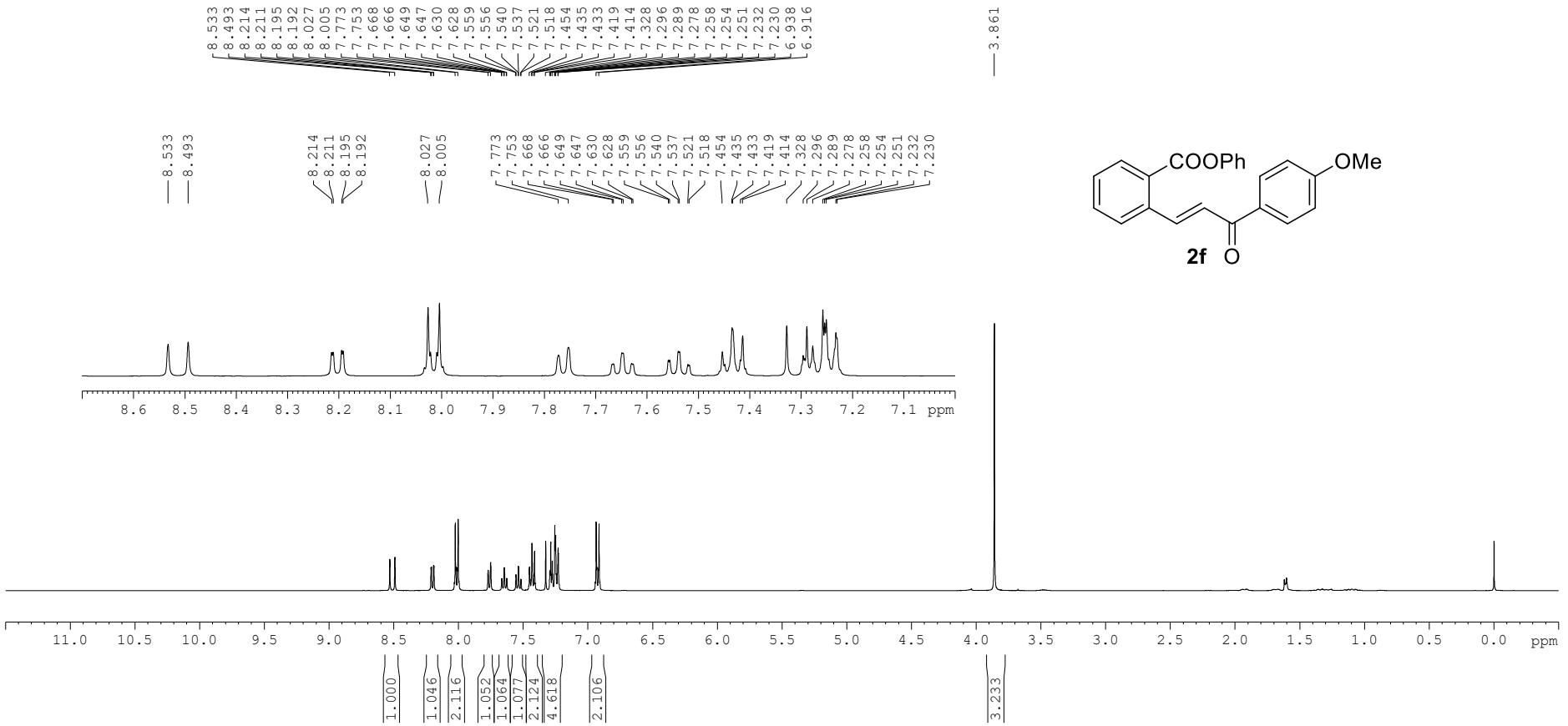
^{13}C NMR of compound **2d**



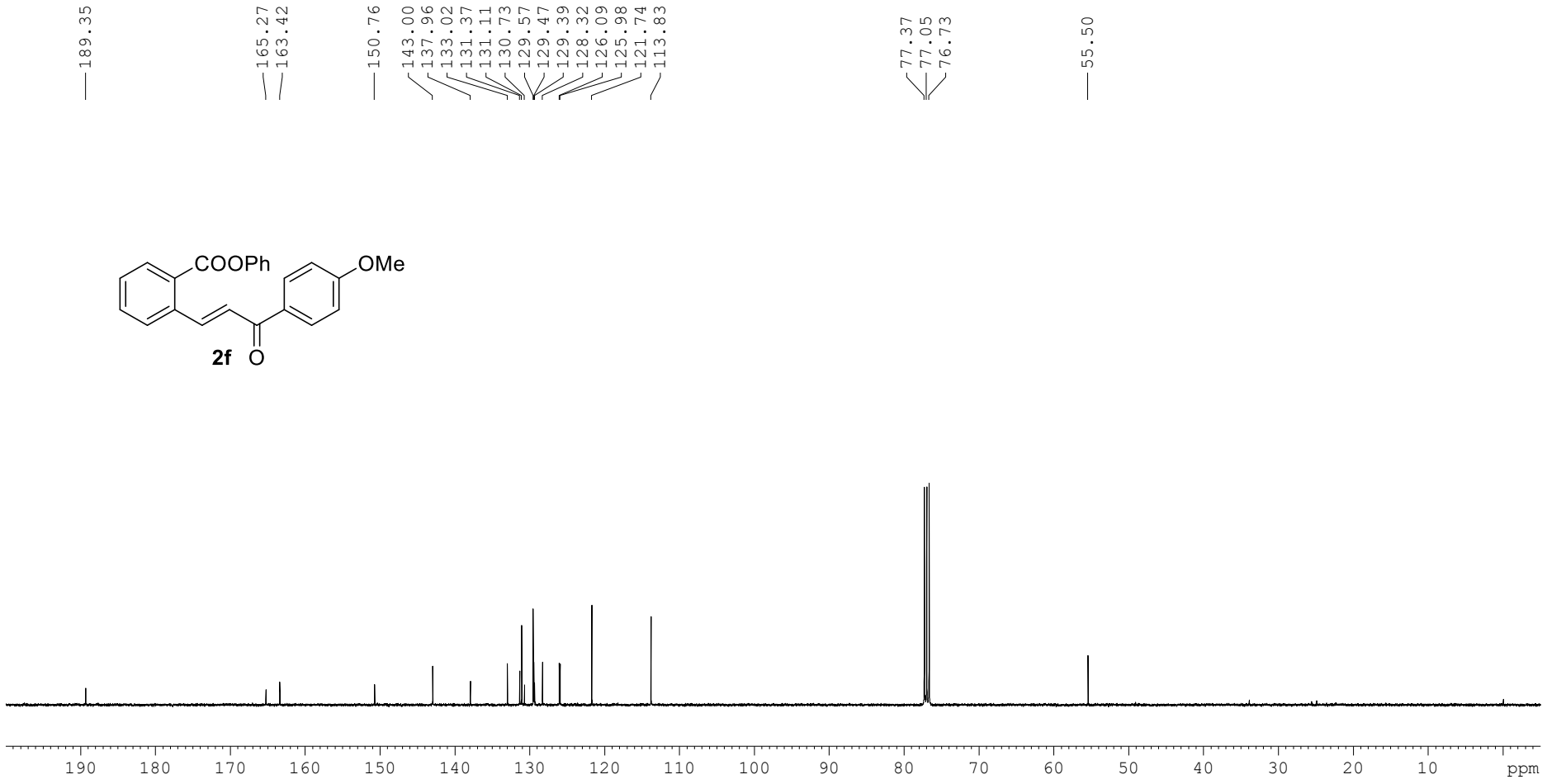
^{1}H NMR of compound **2e**



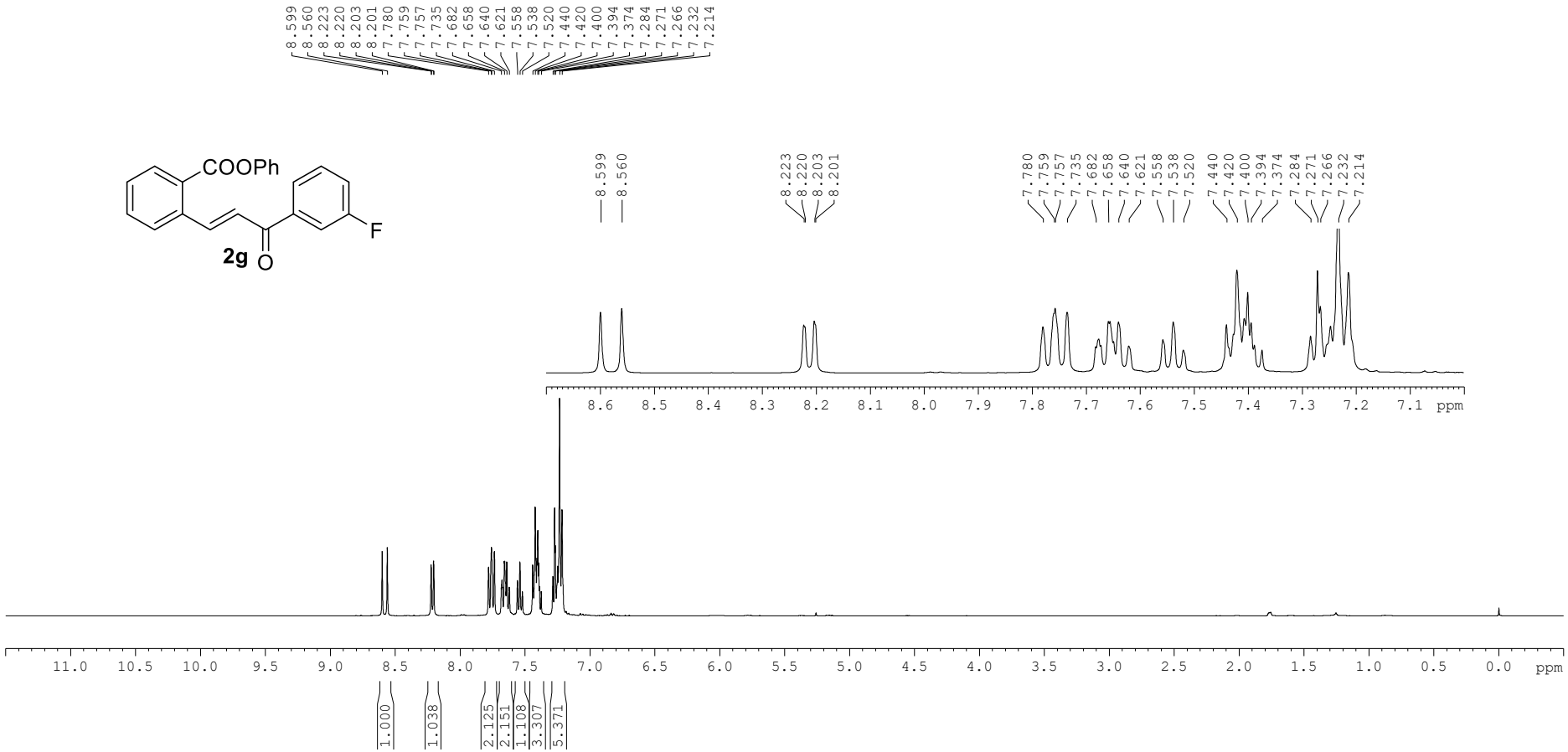
^{13}C NMR of compound **2e**



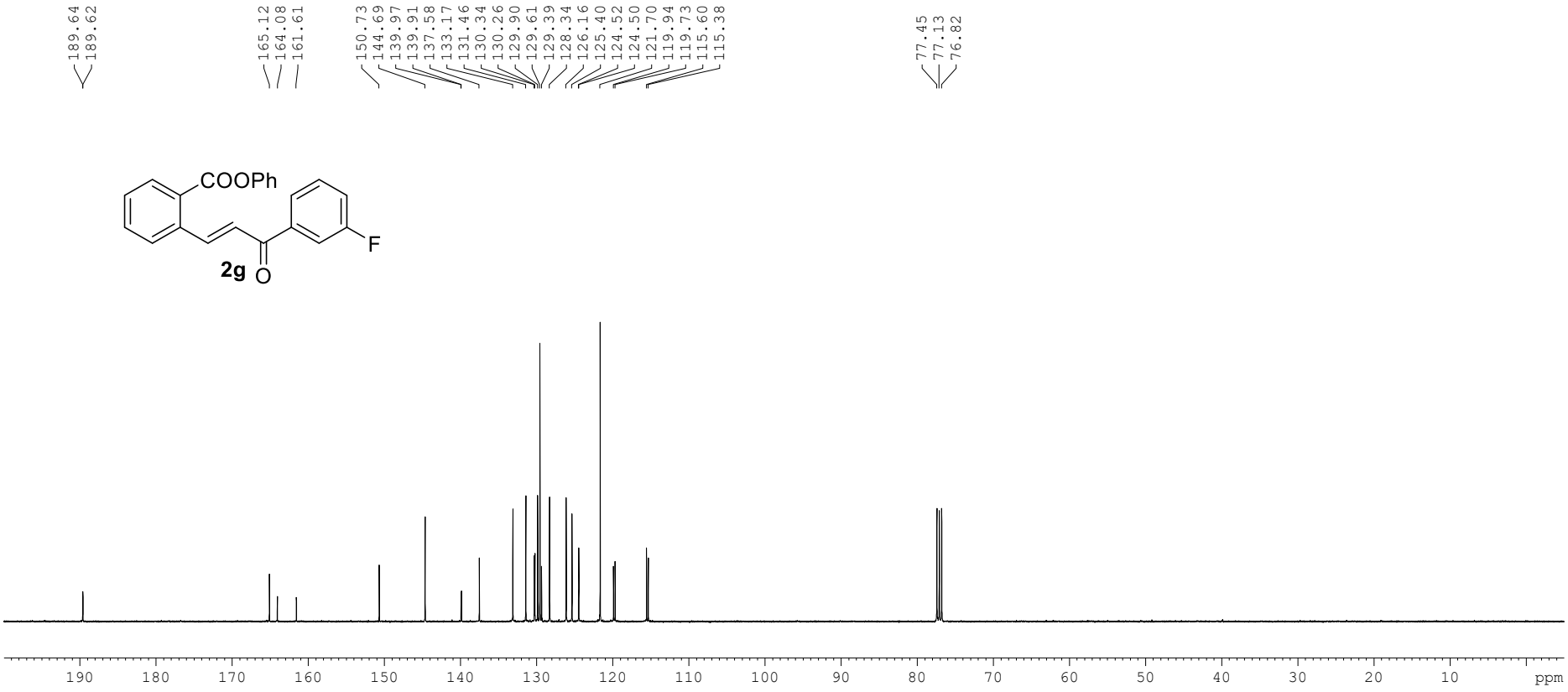
^{1}H NMR of compound **2f**



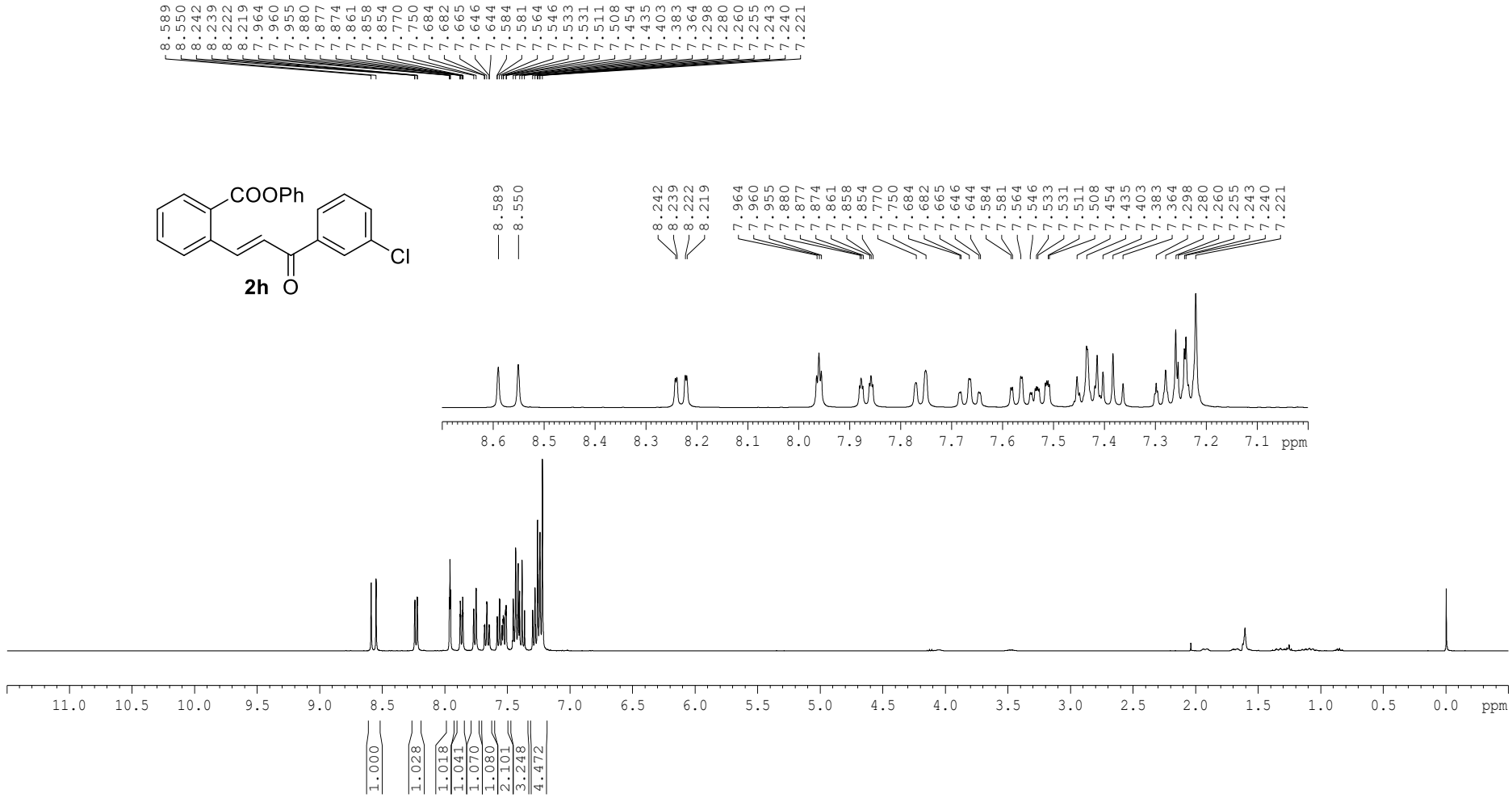
^{13}C NMR of compound **2f**



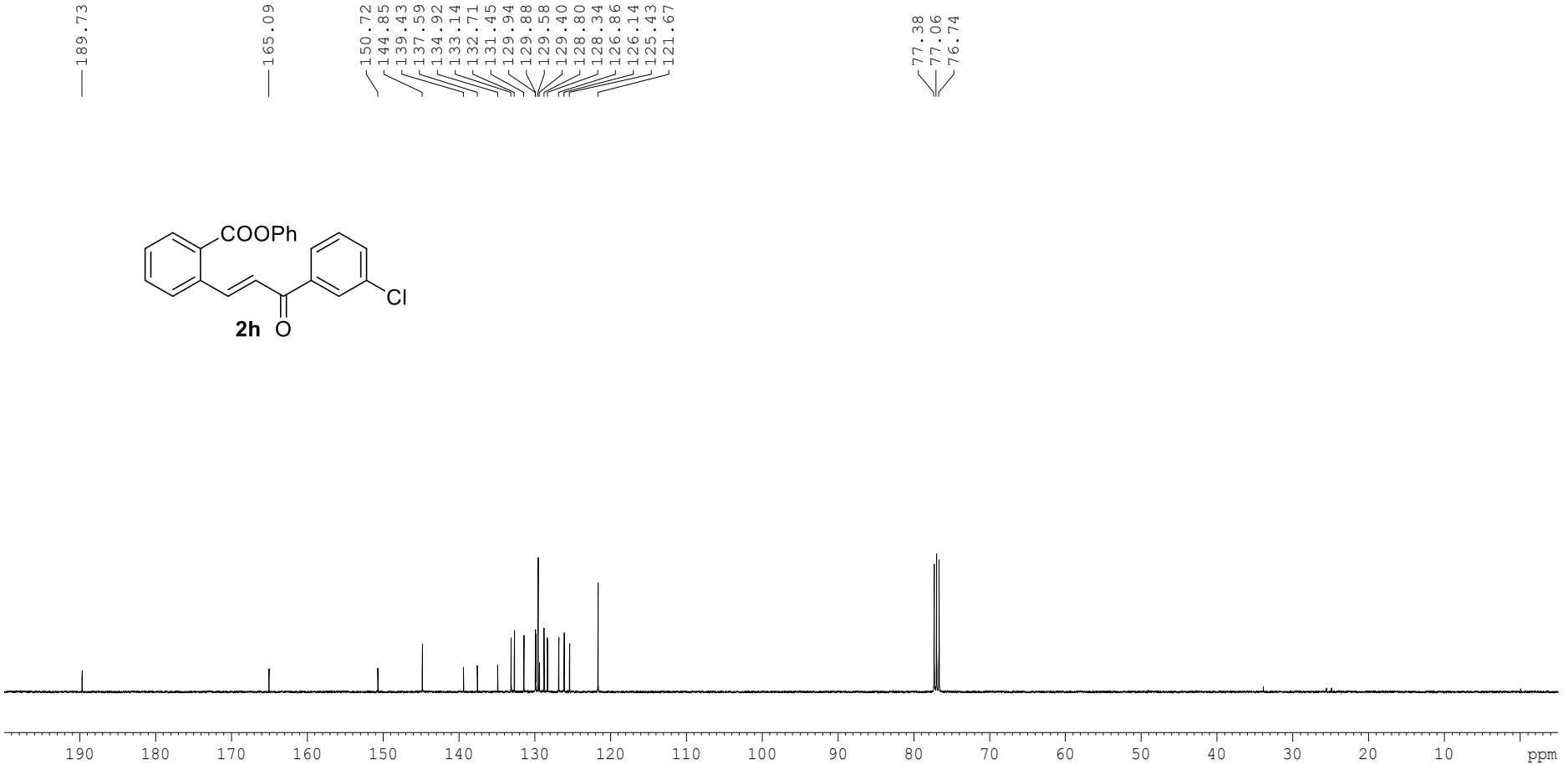
^{1}H NMR of compound **2g**



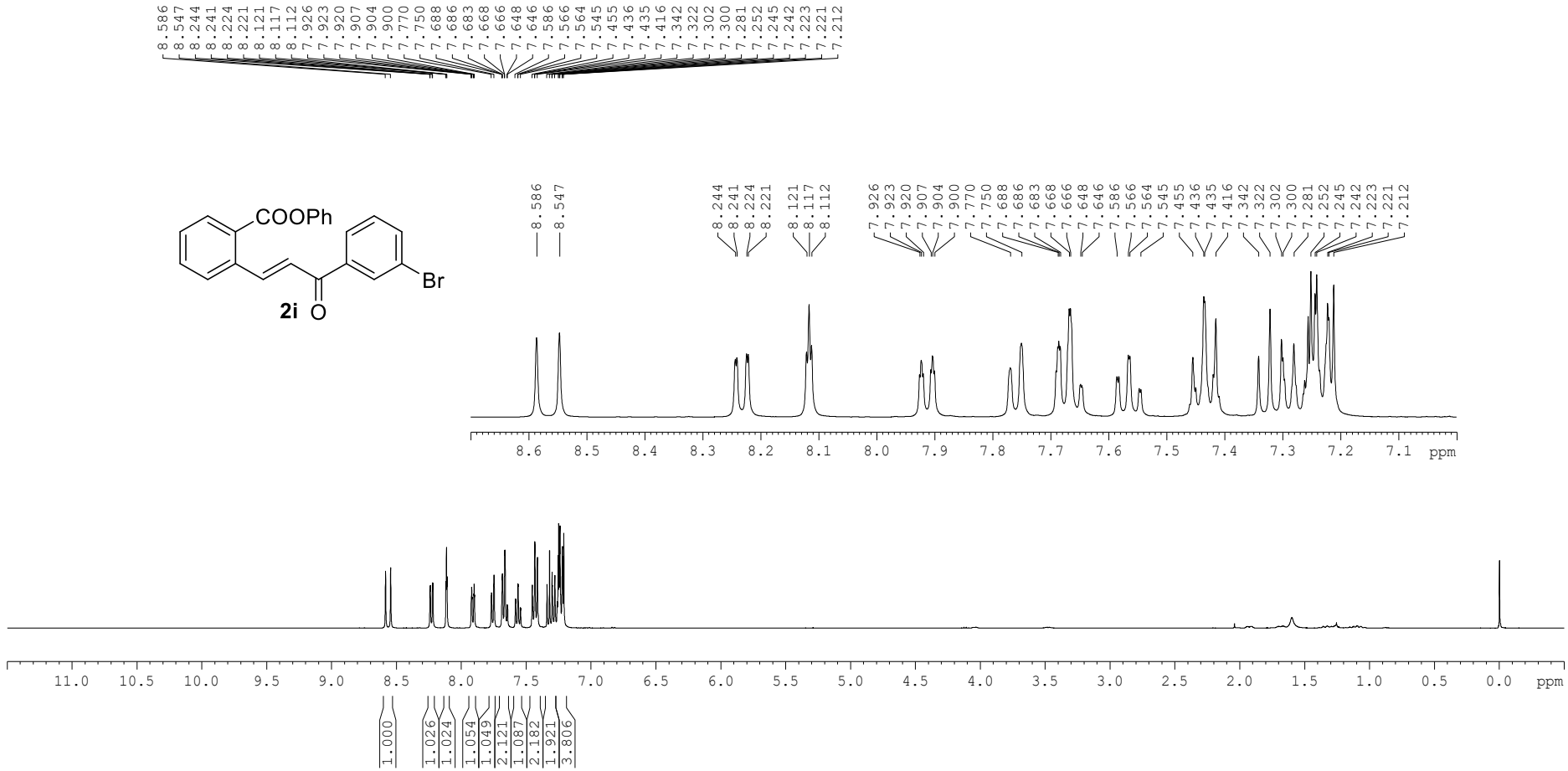
^{13}C NMR of compound **2g**



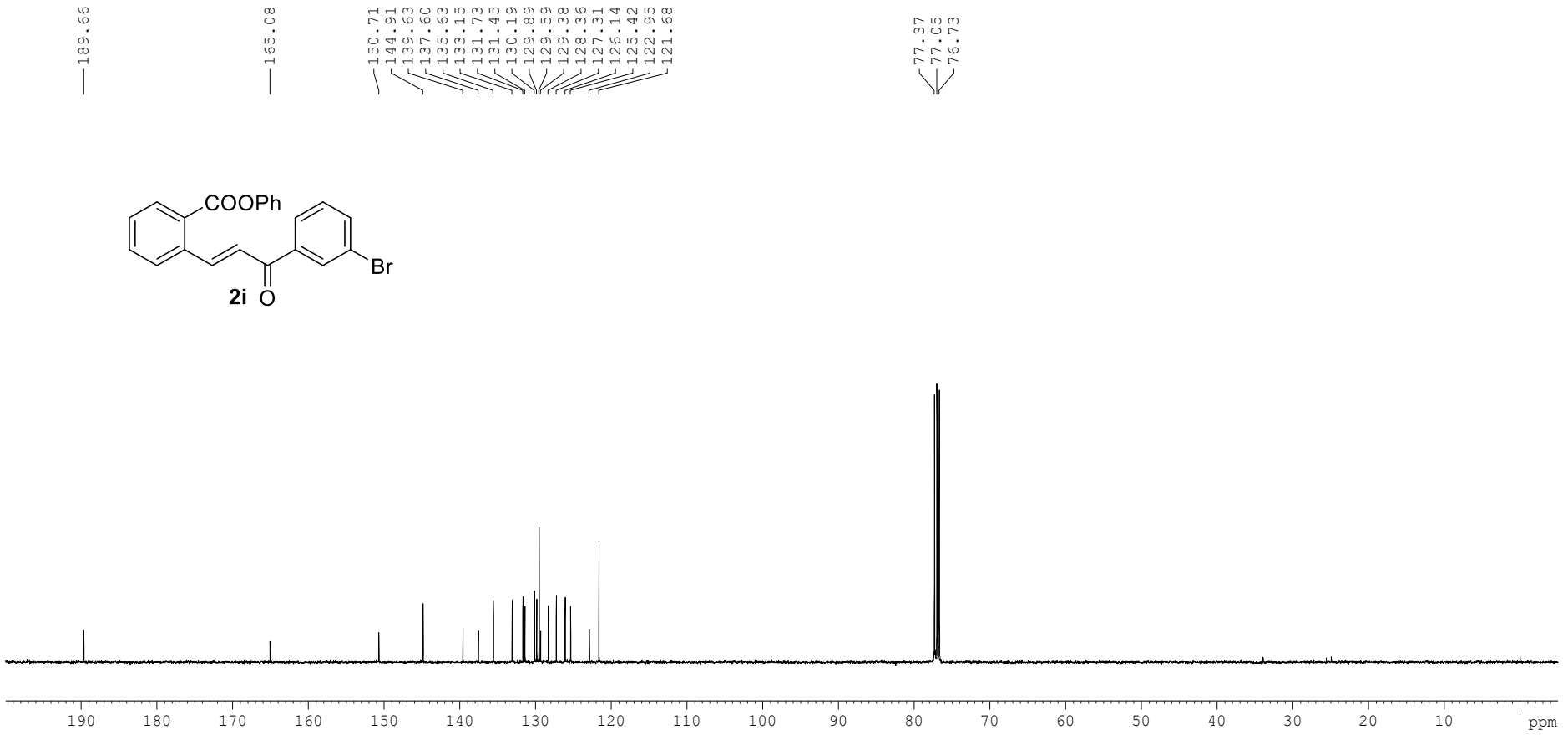
^{1}H NMR of compound **2h**



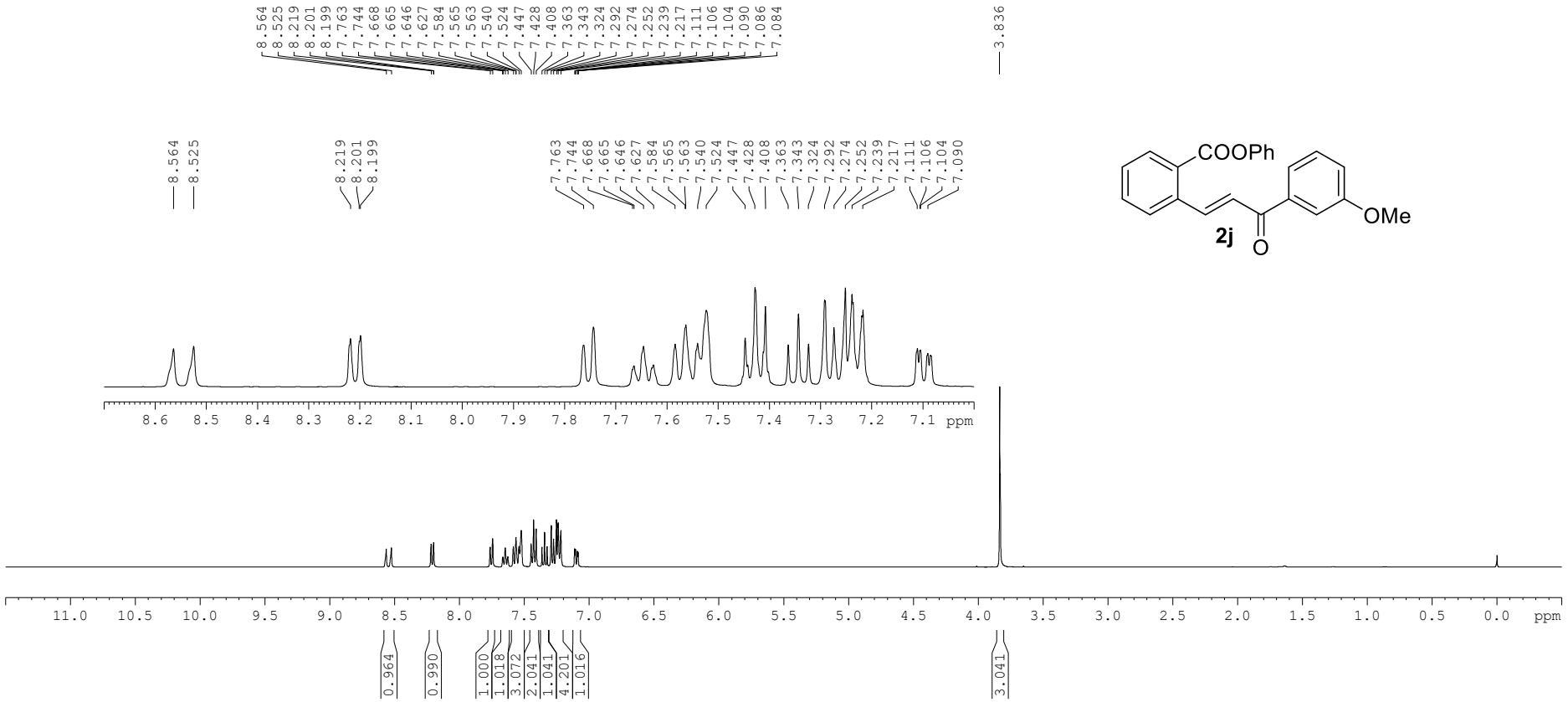
^{13}C NMR of compound **2h**



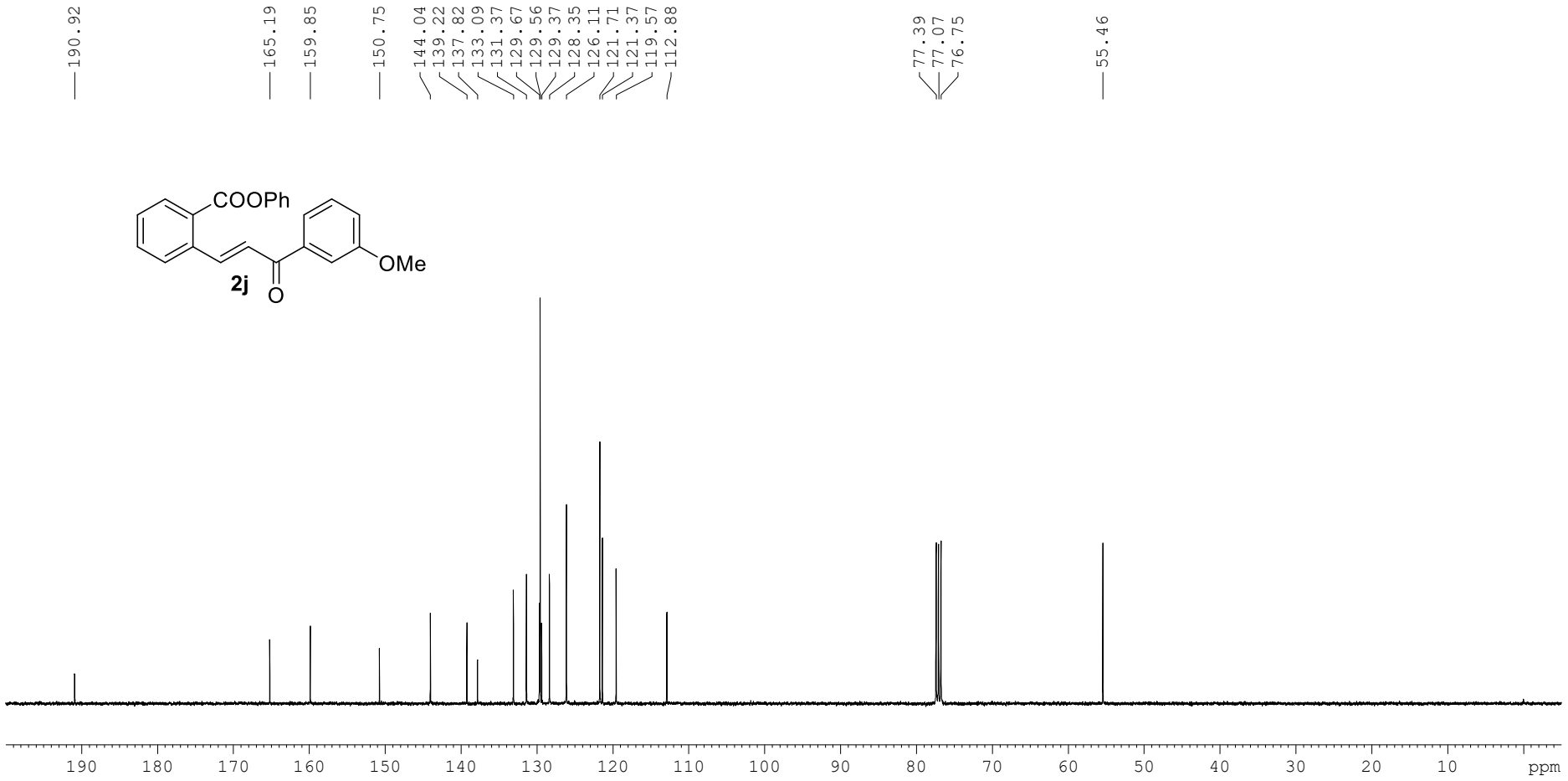
^{1}H NMR of compound **2i**



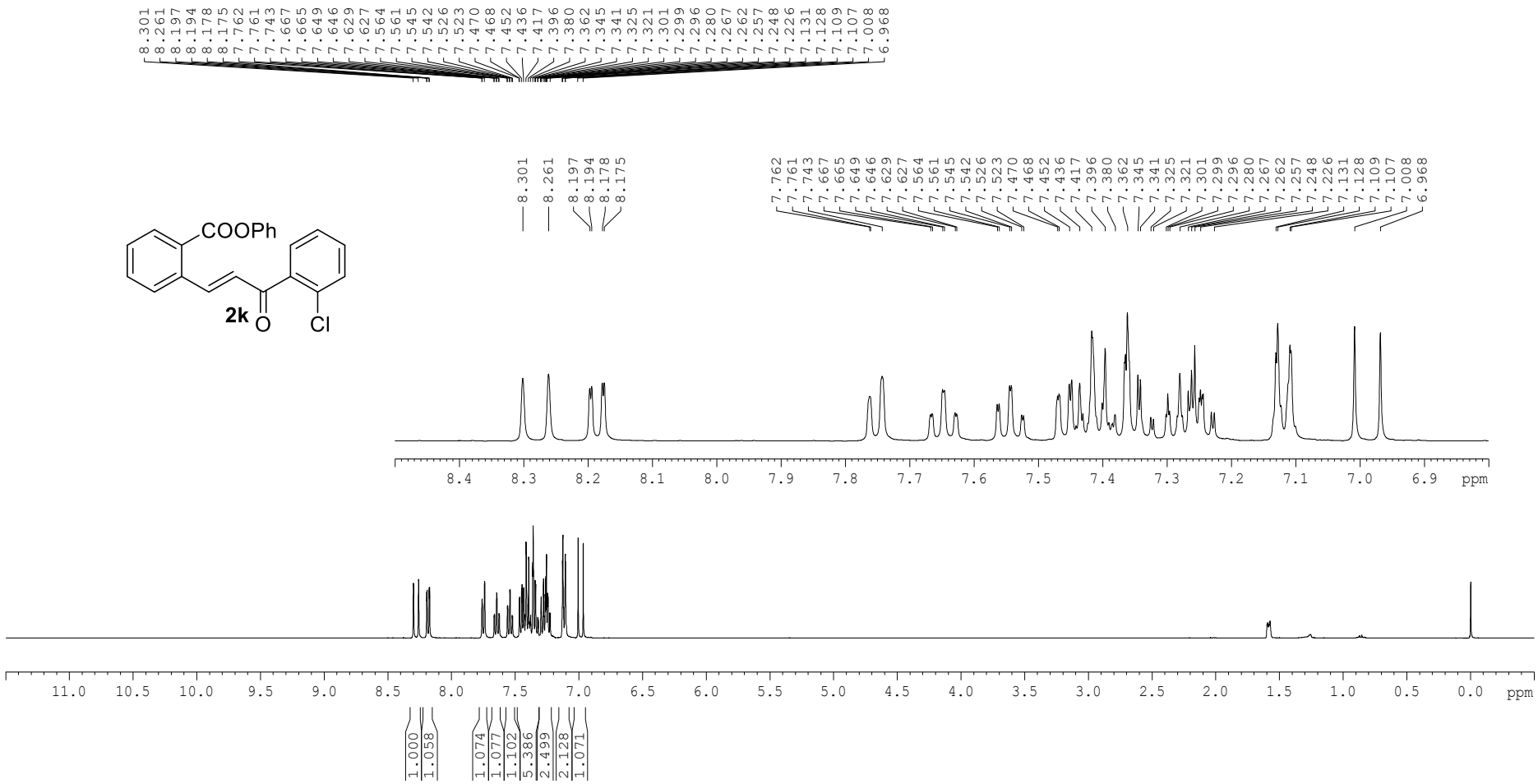
^{13}C NMR of compound **2i**



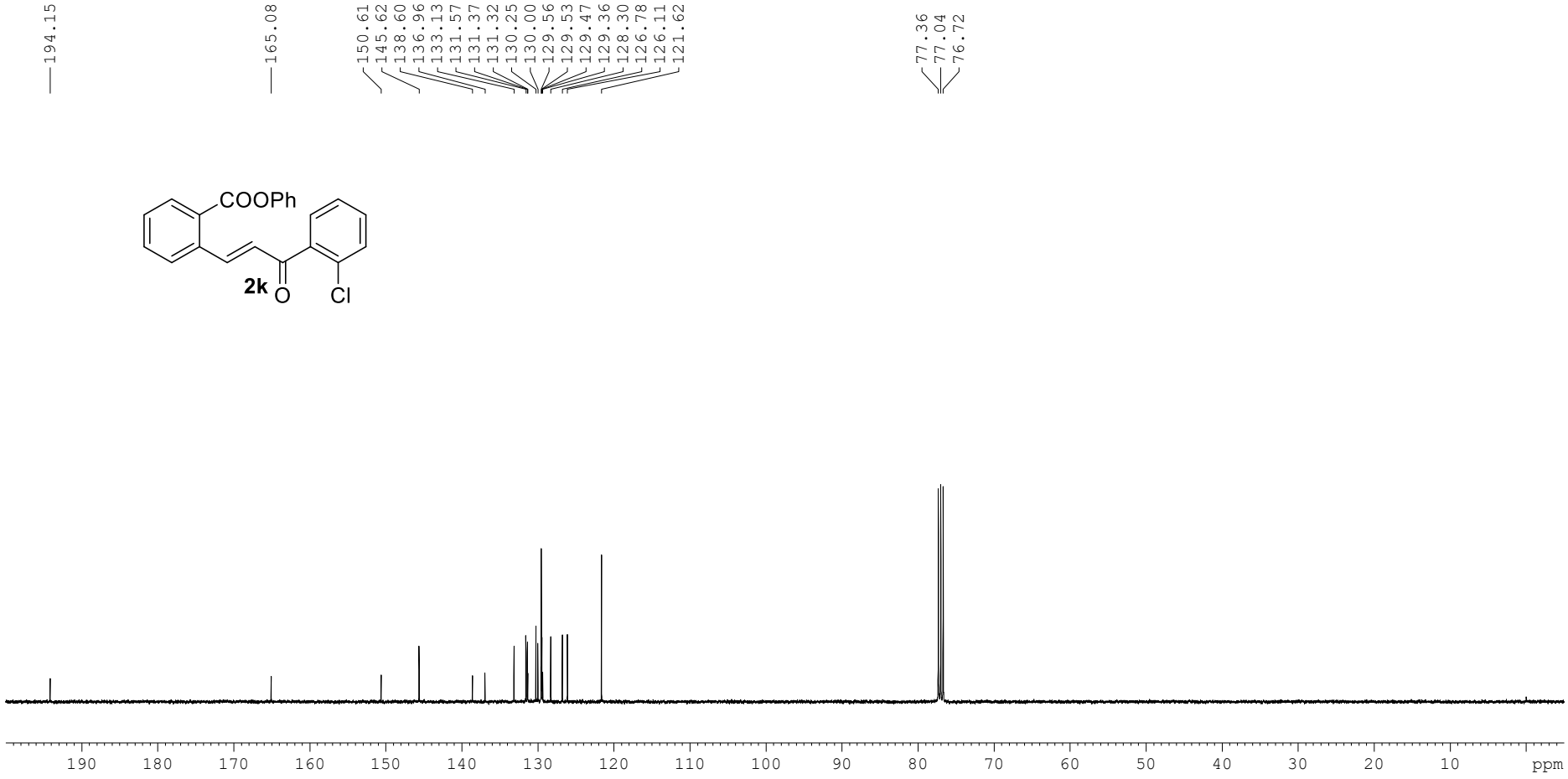
^{1}H NMR of compound **2j**



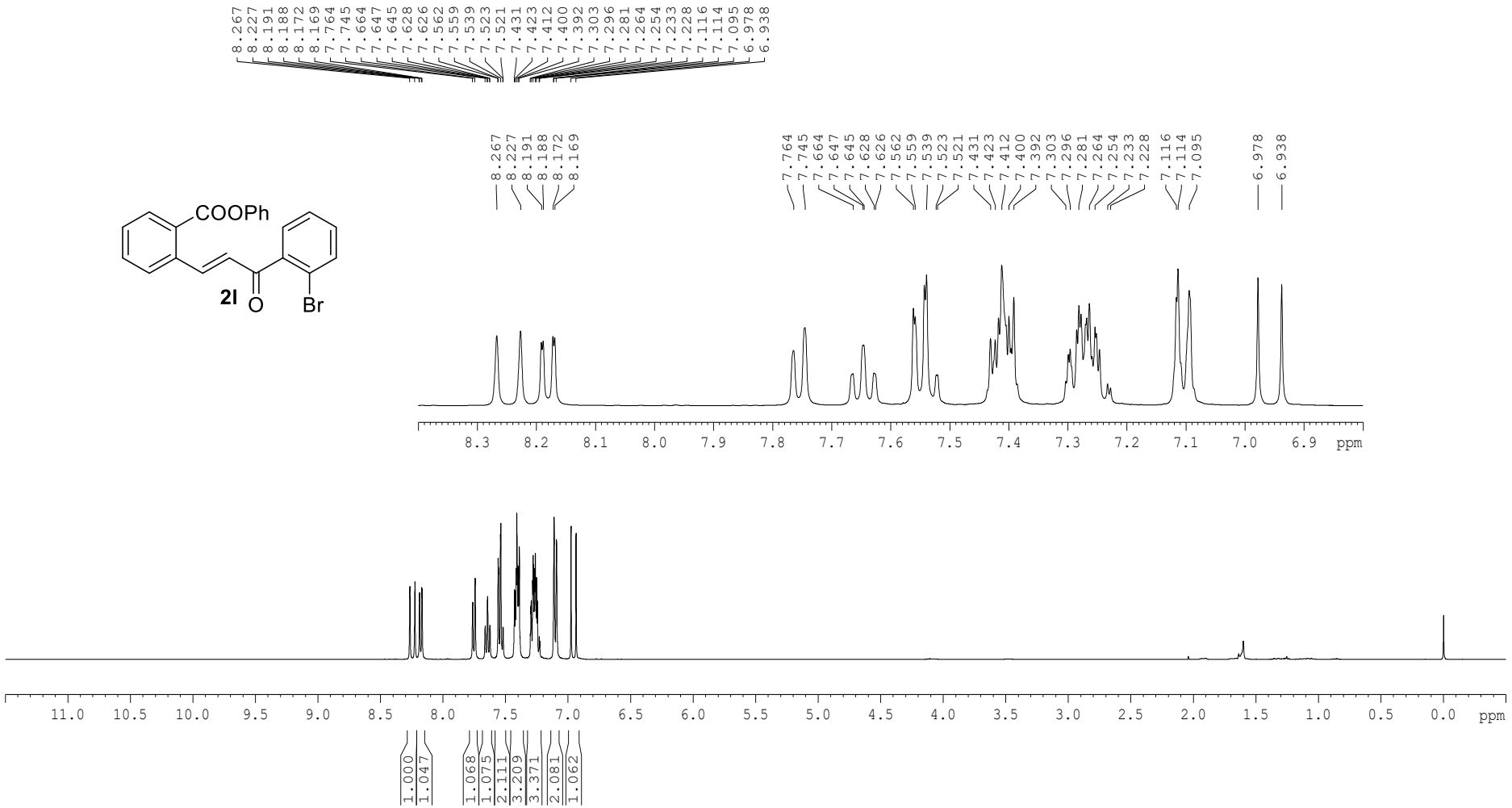
^{13}C NMR of compound **2j**



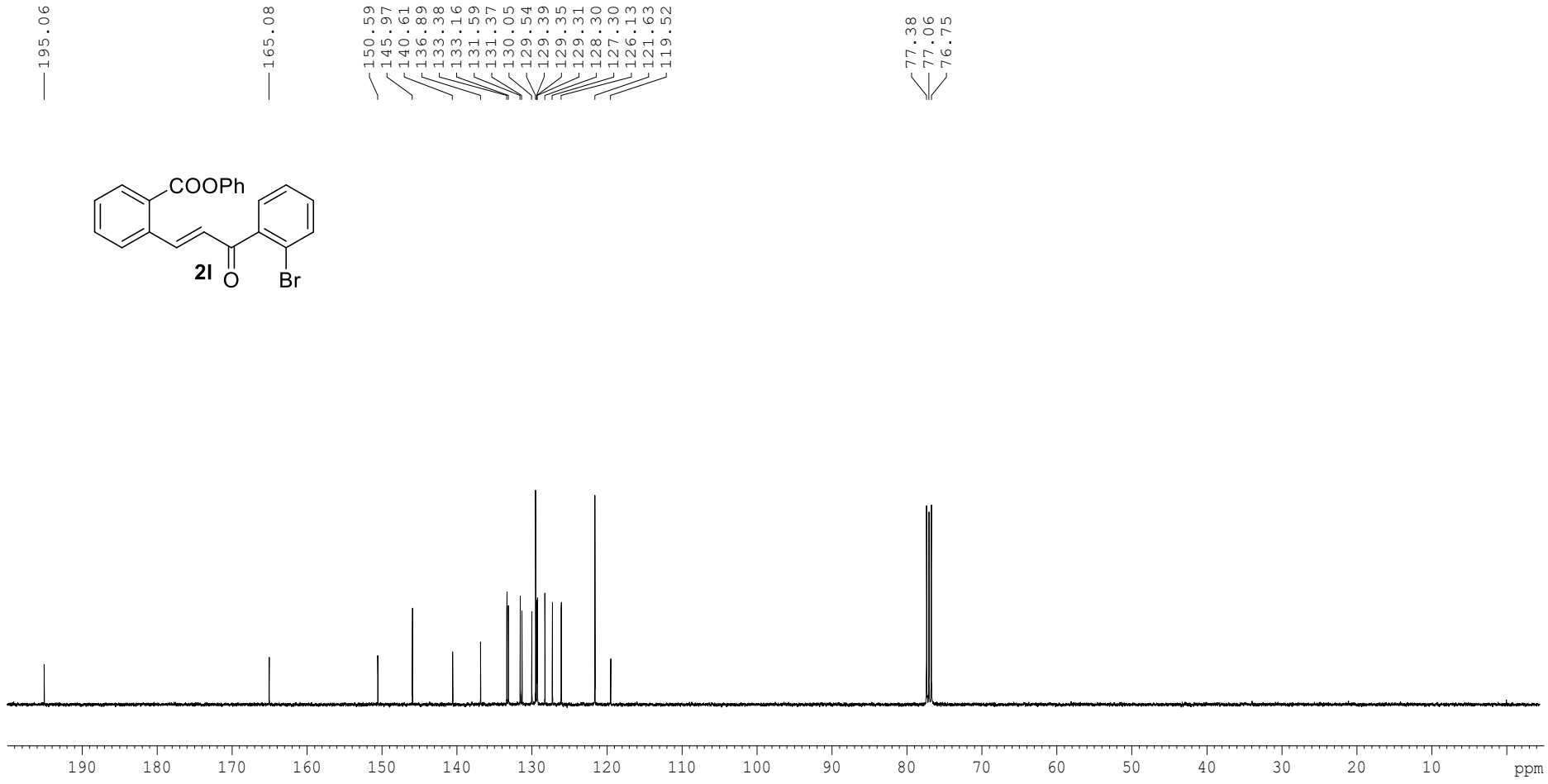
^{1}H NMR of compound **2k**



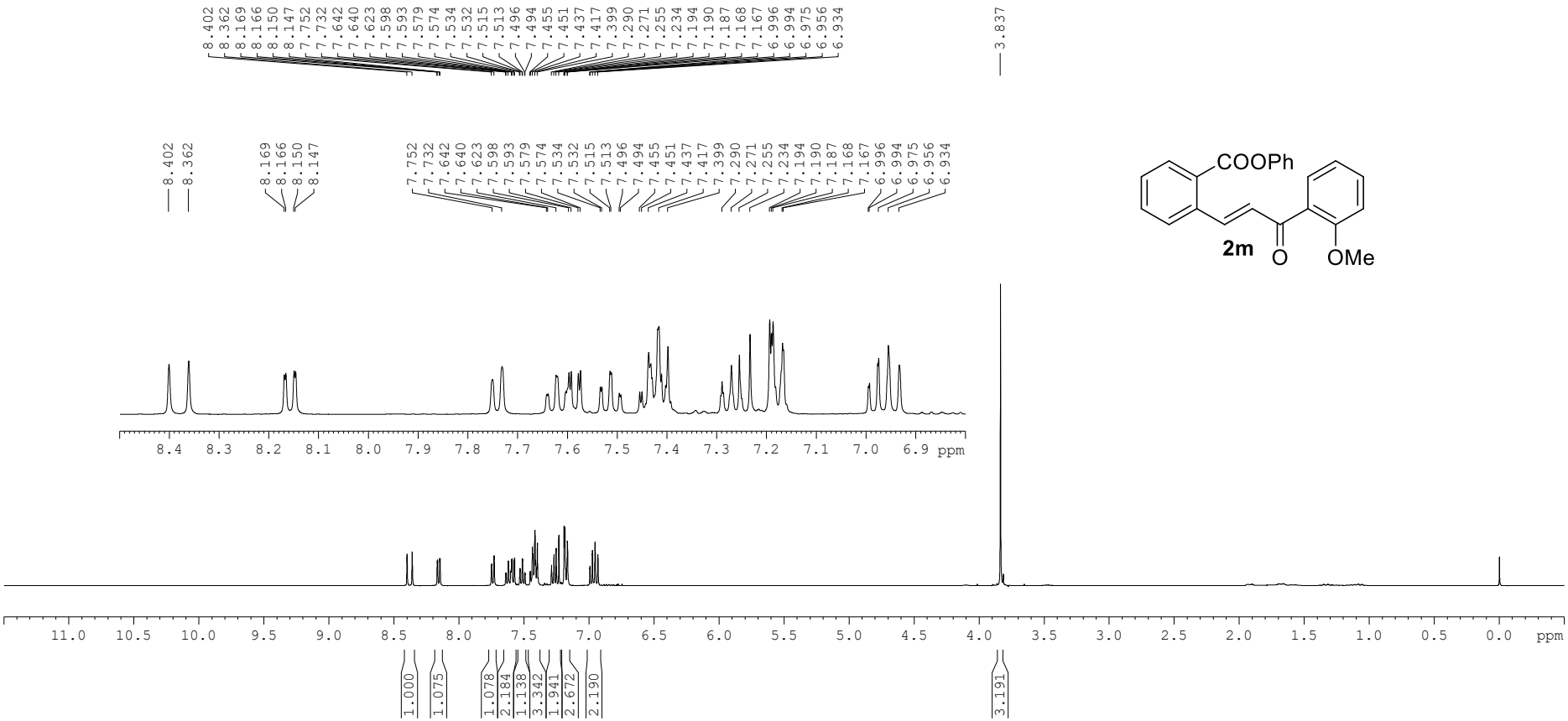
^{13}C NMR of compound **2k**



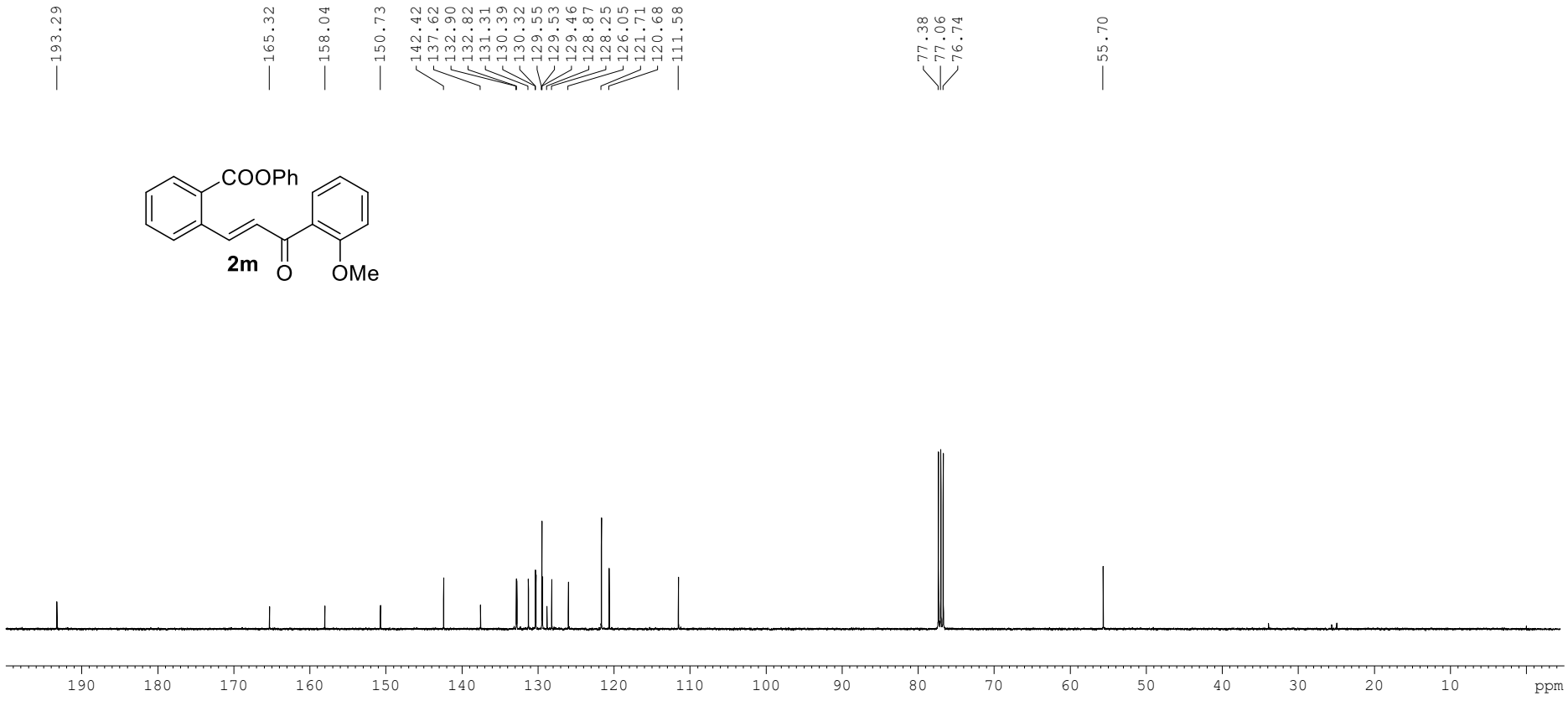
^{1}H NMR of compound **2l**



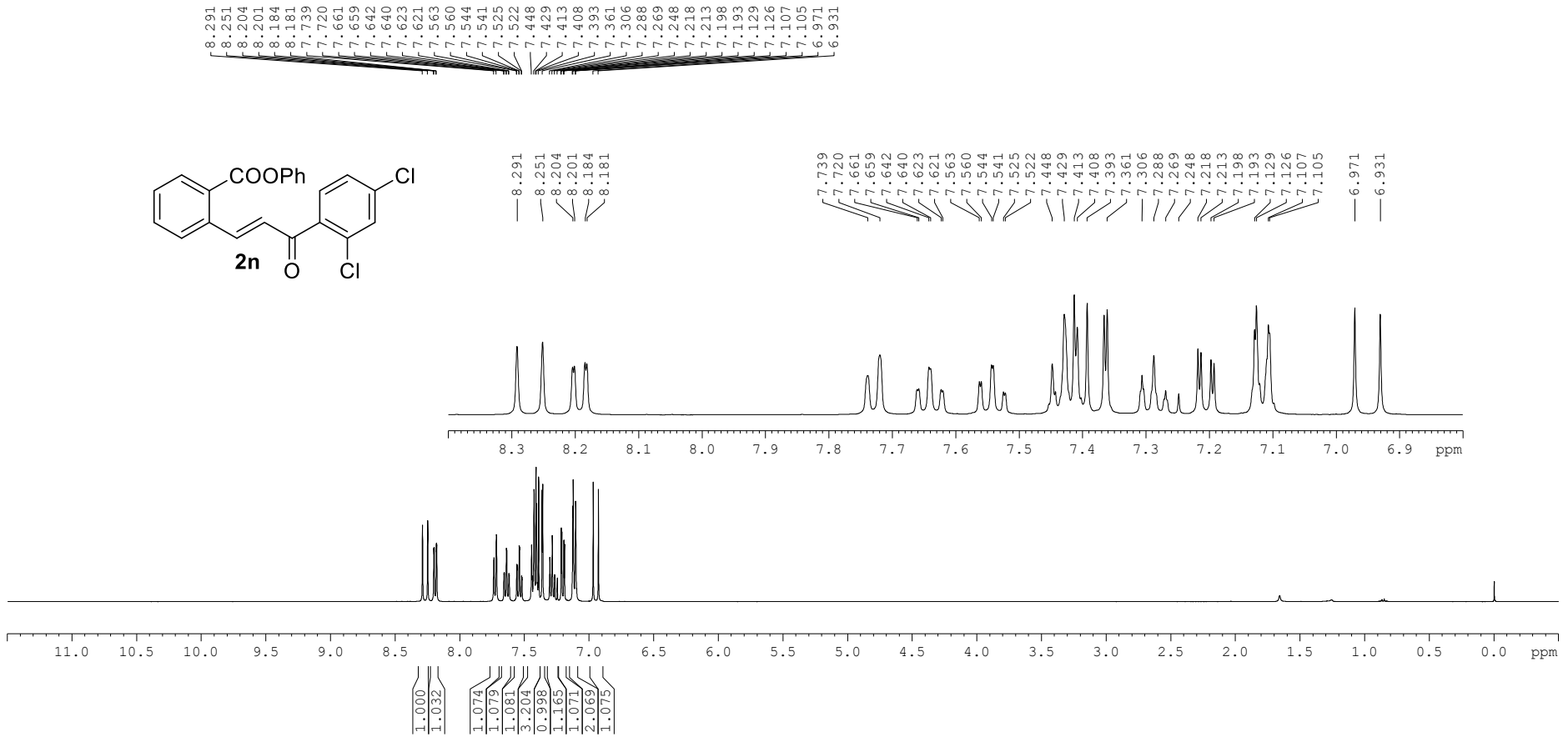
^{13}C NMR of compound **2l**



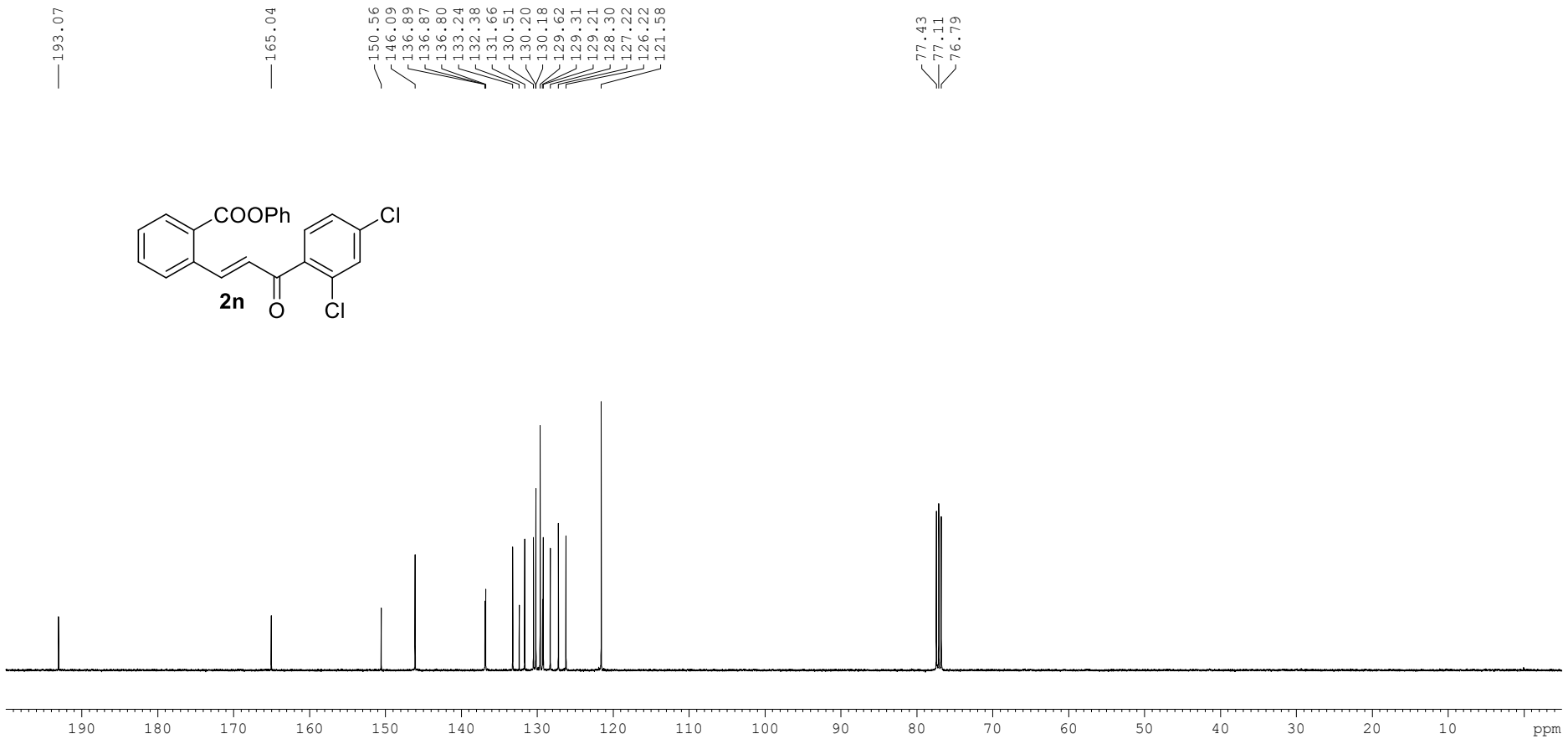
^{1}H NMR of compound **2m**



^{13}C NMR of compound **2m**



^{1}H NMR of compound **2n**



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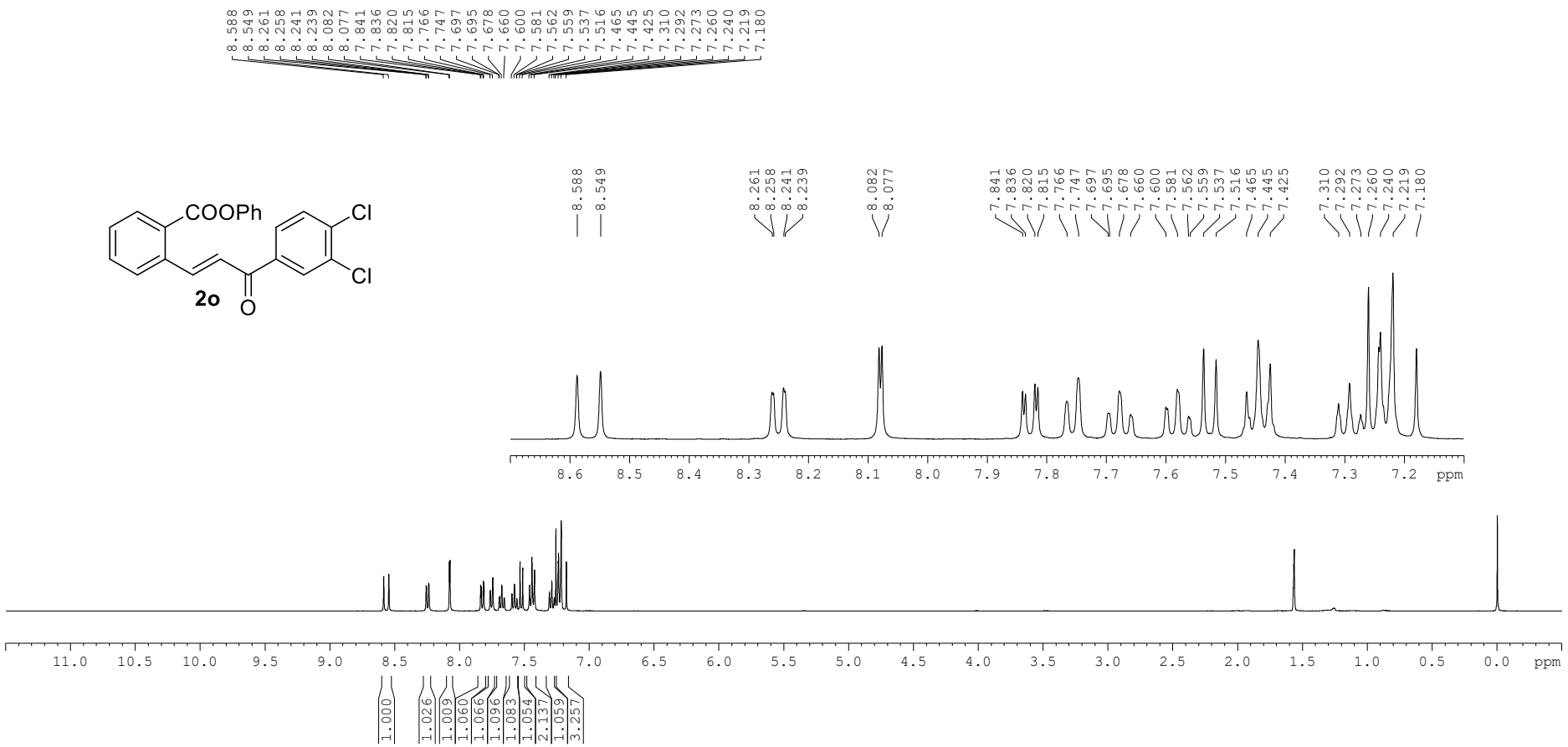

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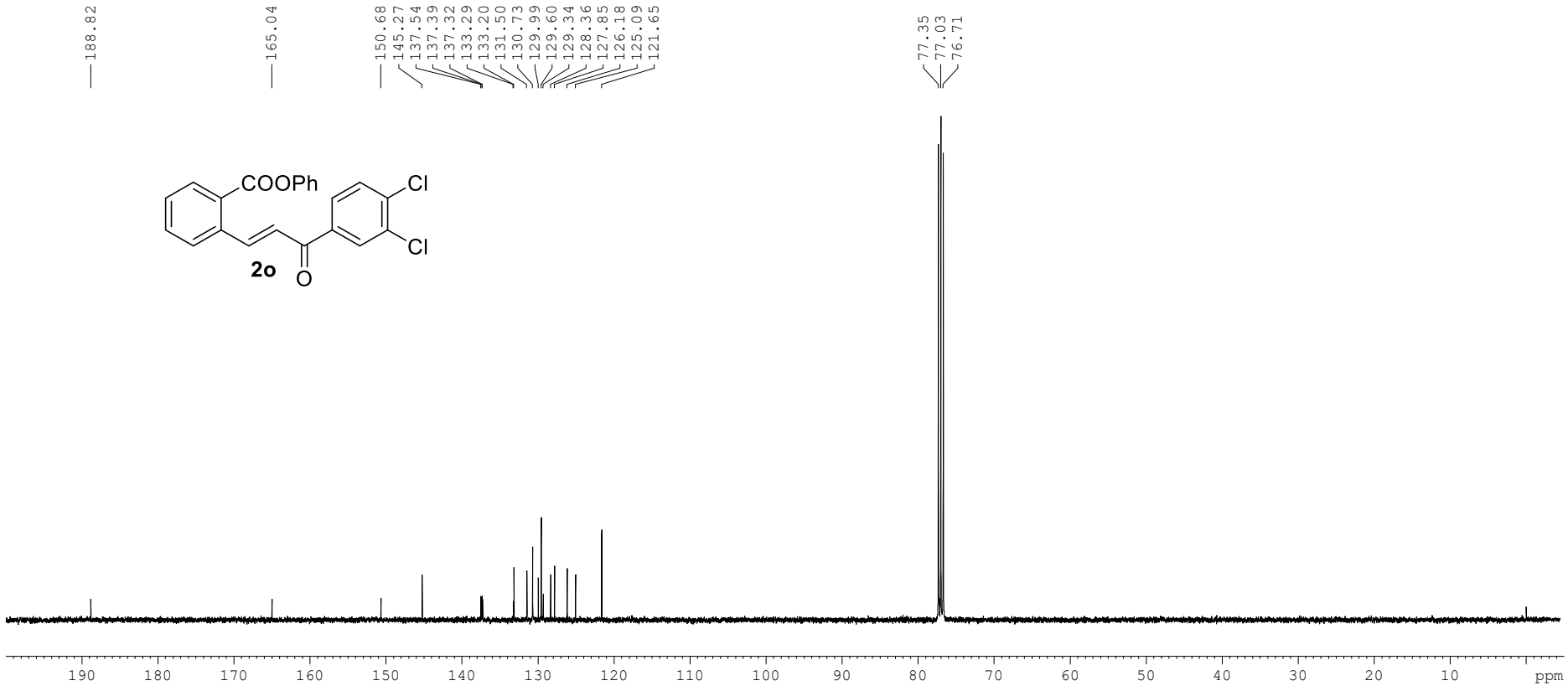
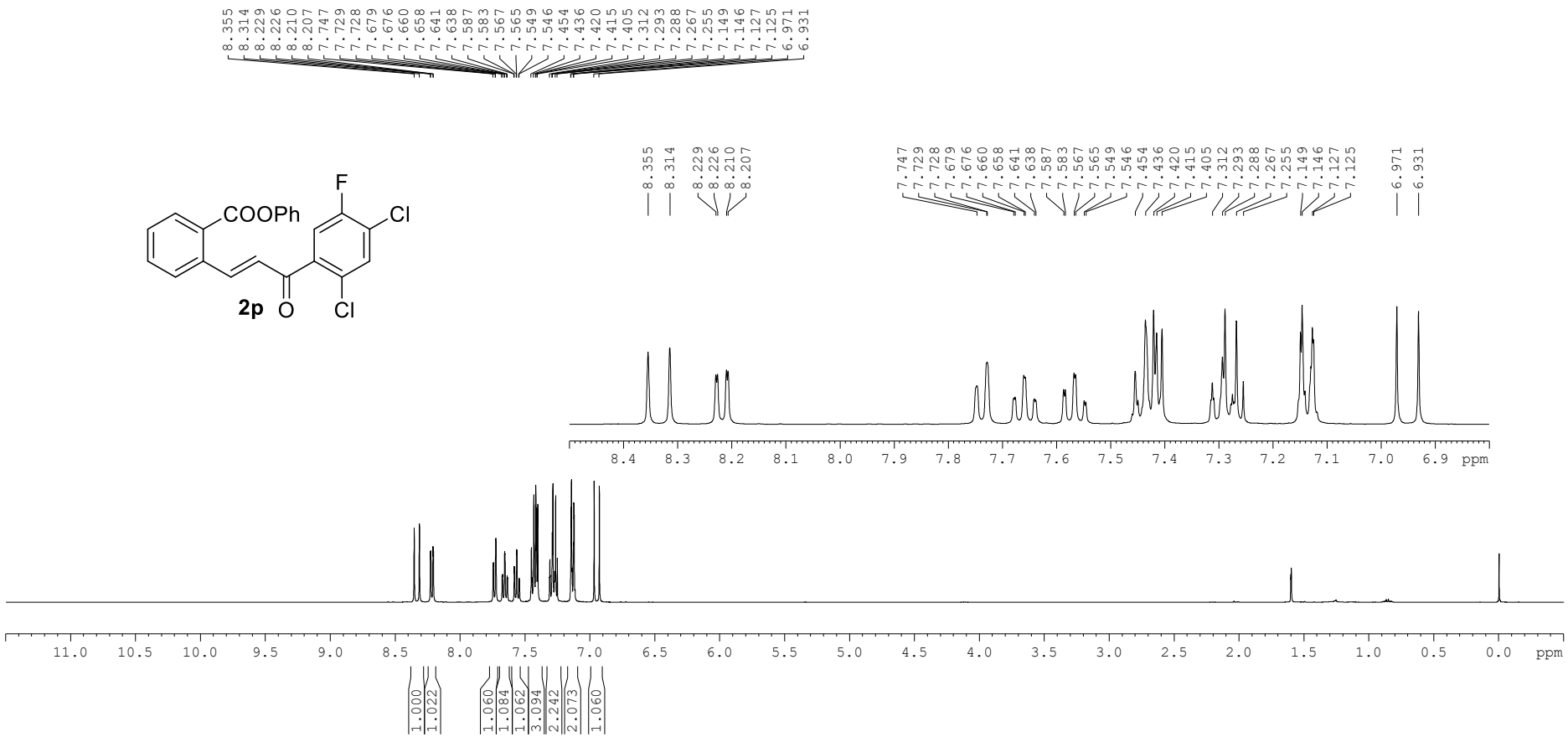
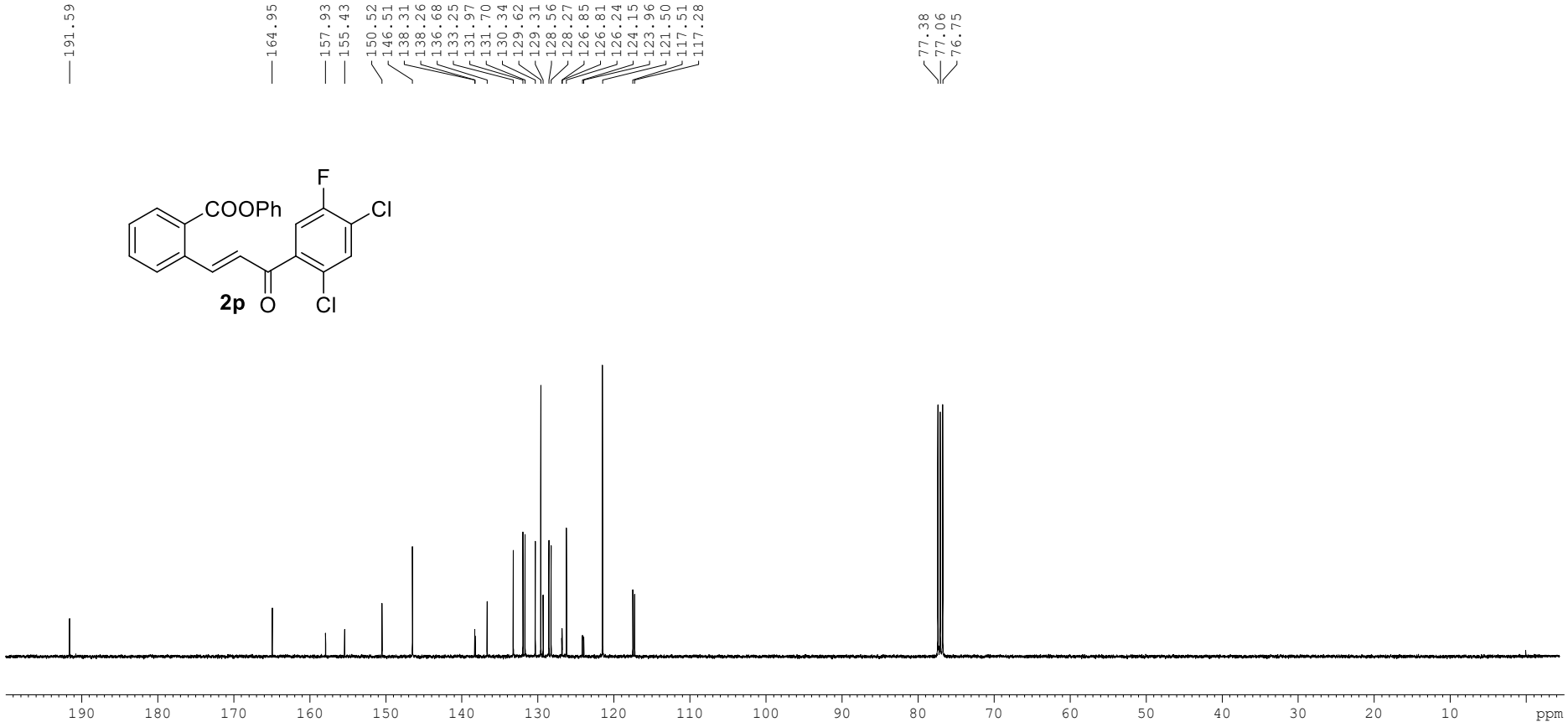


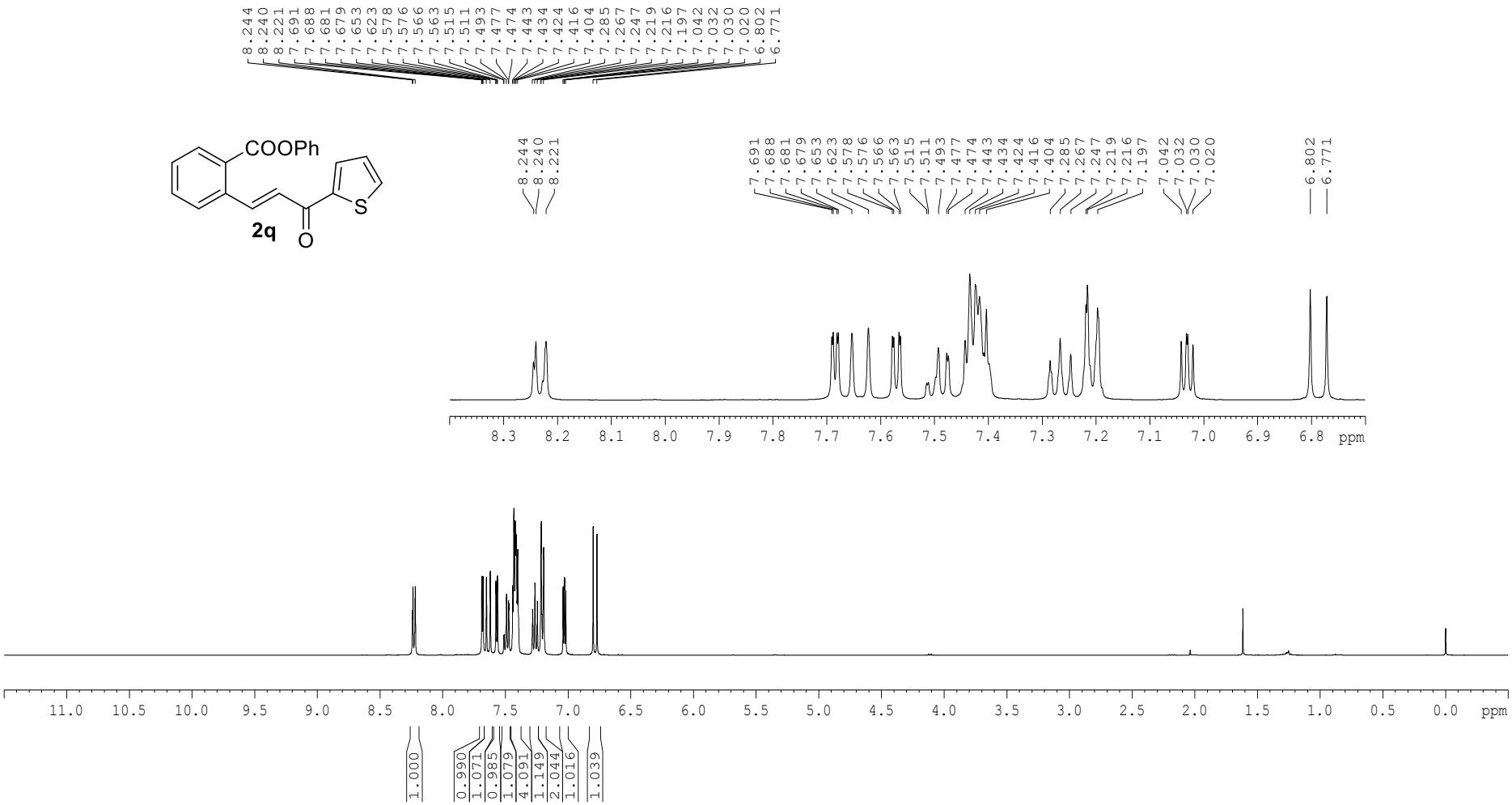
^{13}C NMR of compound **2o**



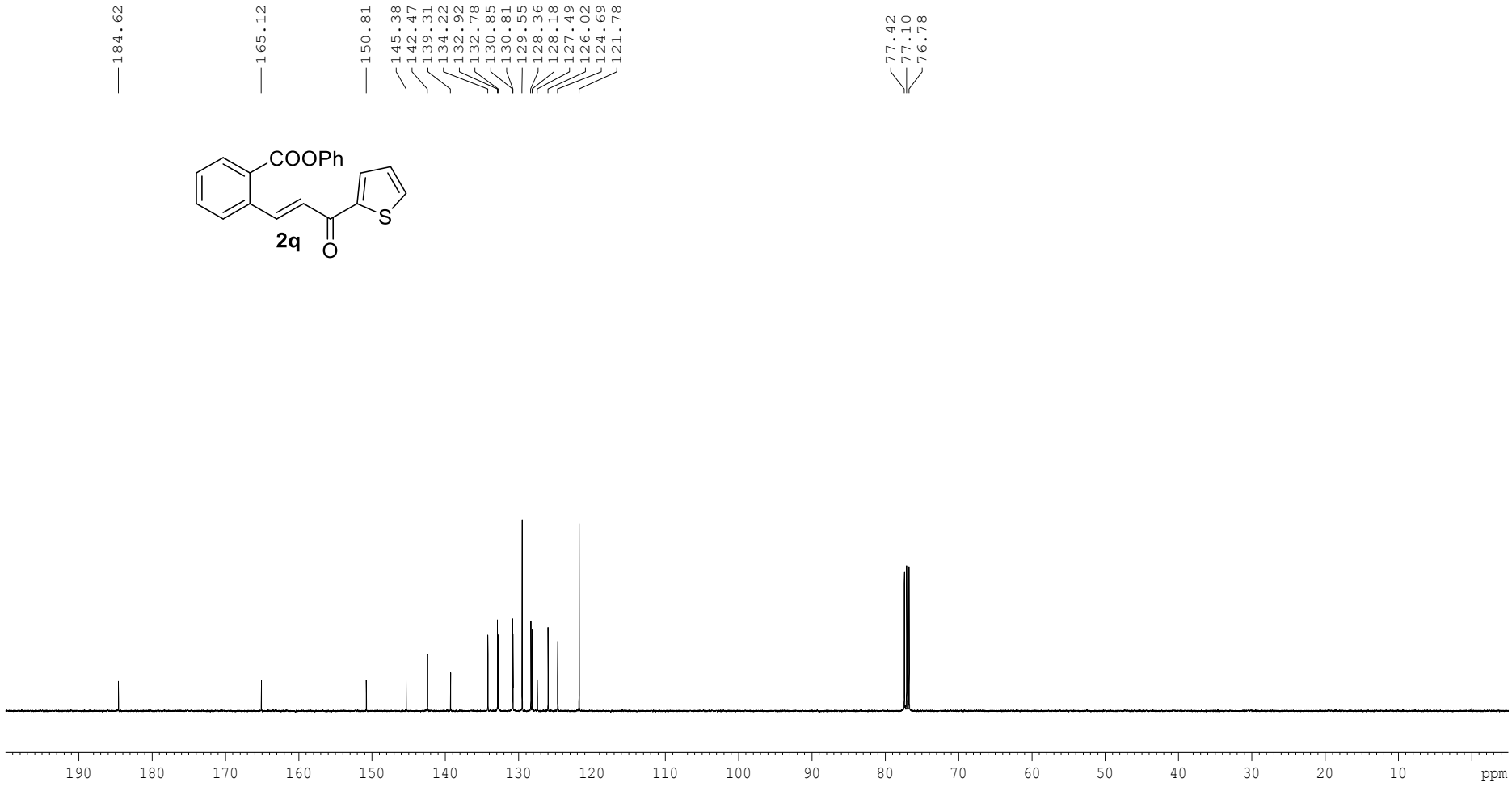
^{1}H NMR of compound **2p**



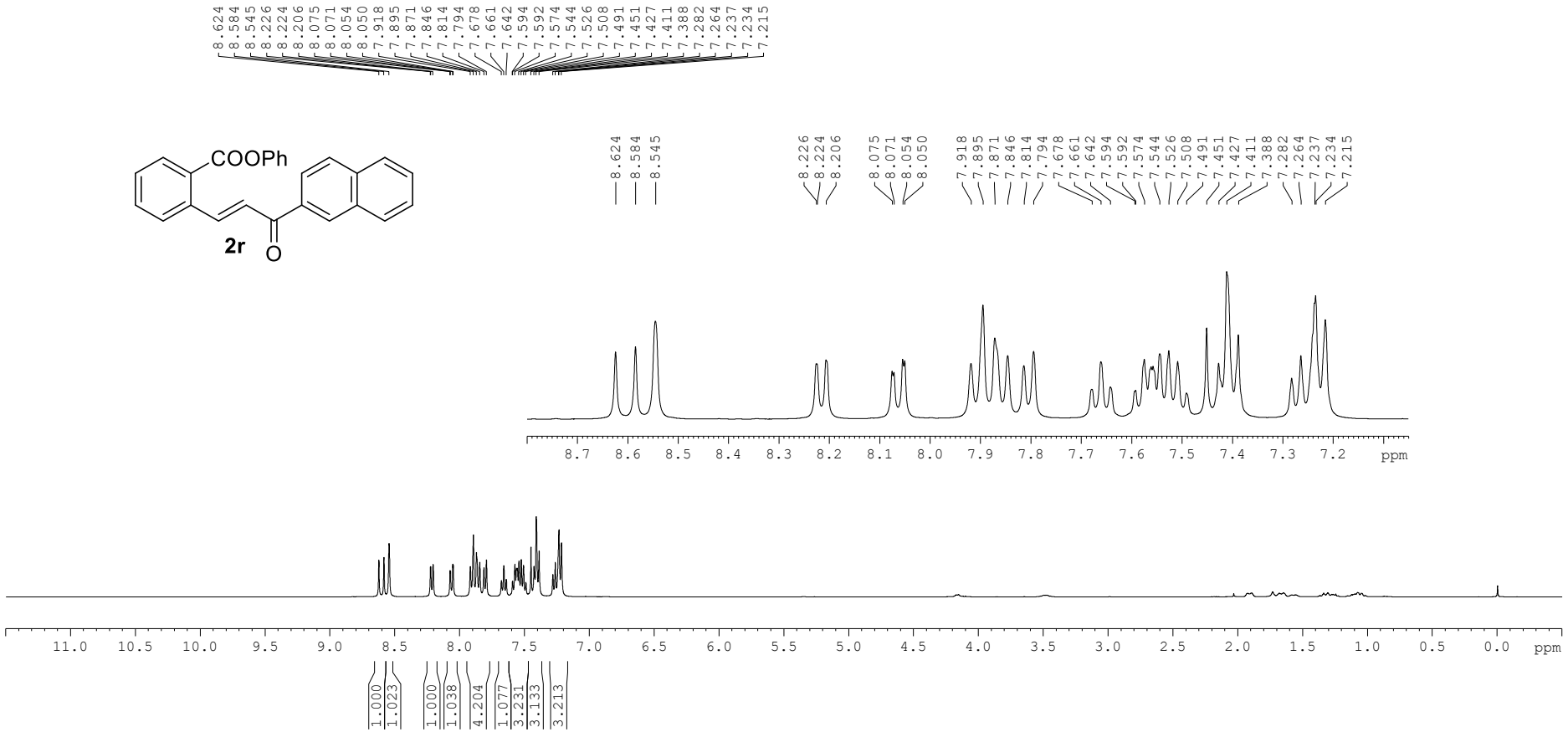
^{13}C NMR of compound **2p**



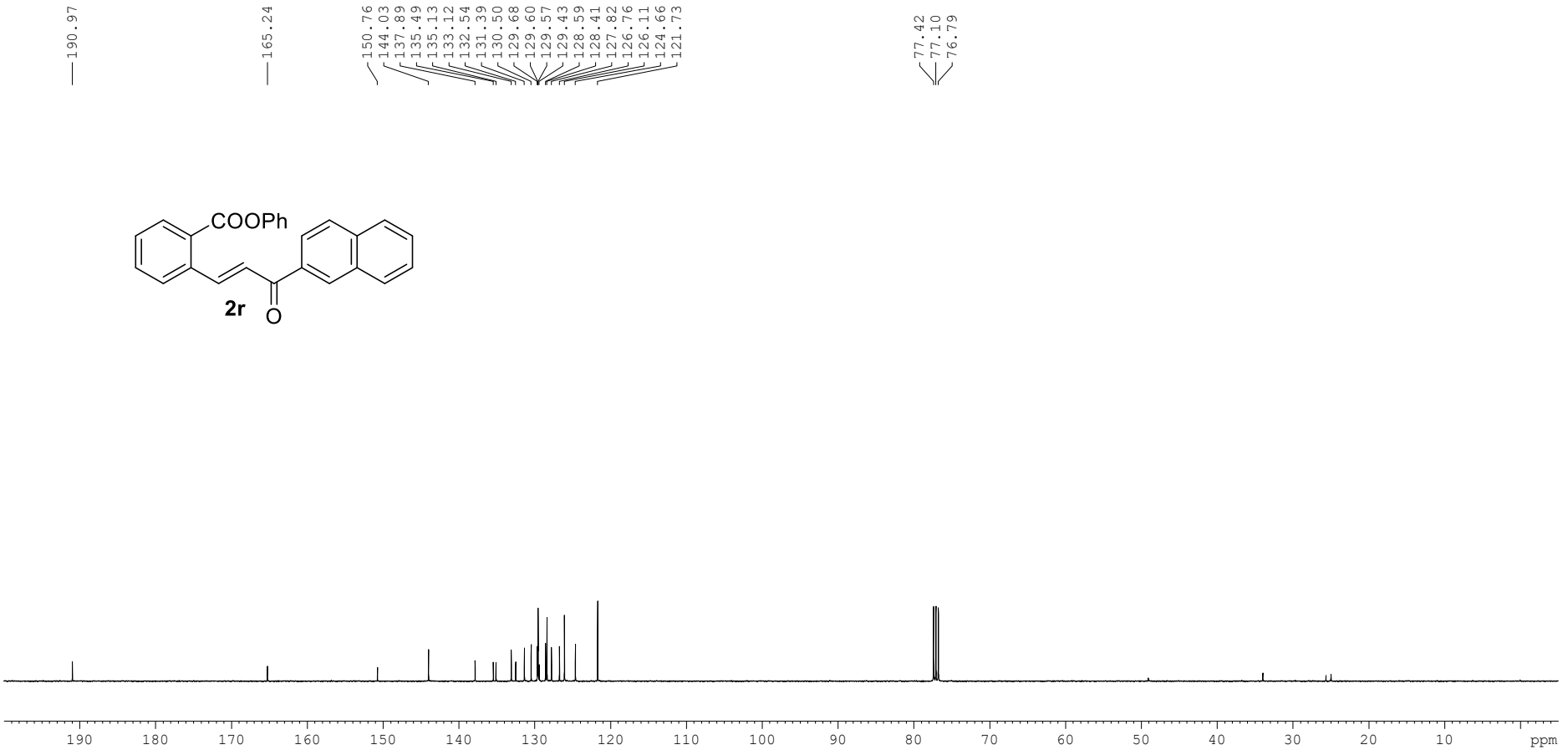
^{1}H NMR of compound **2q**



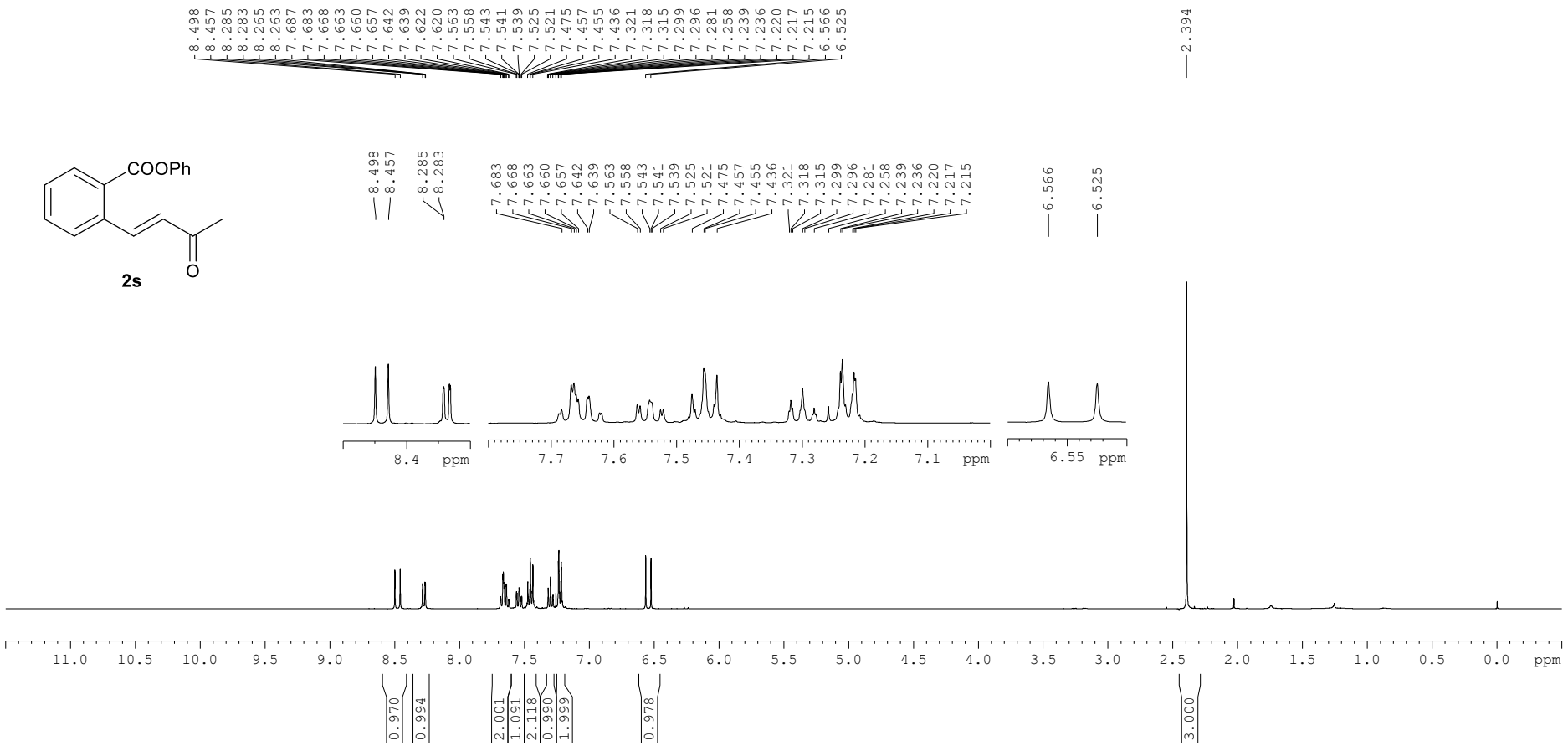
^{13}C NMR of compound **2q**



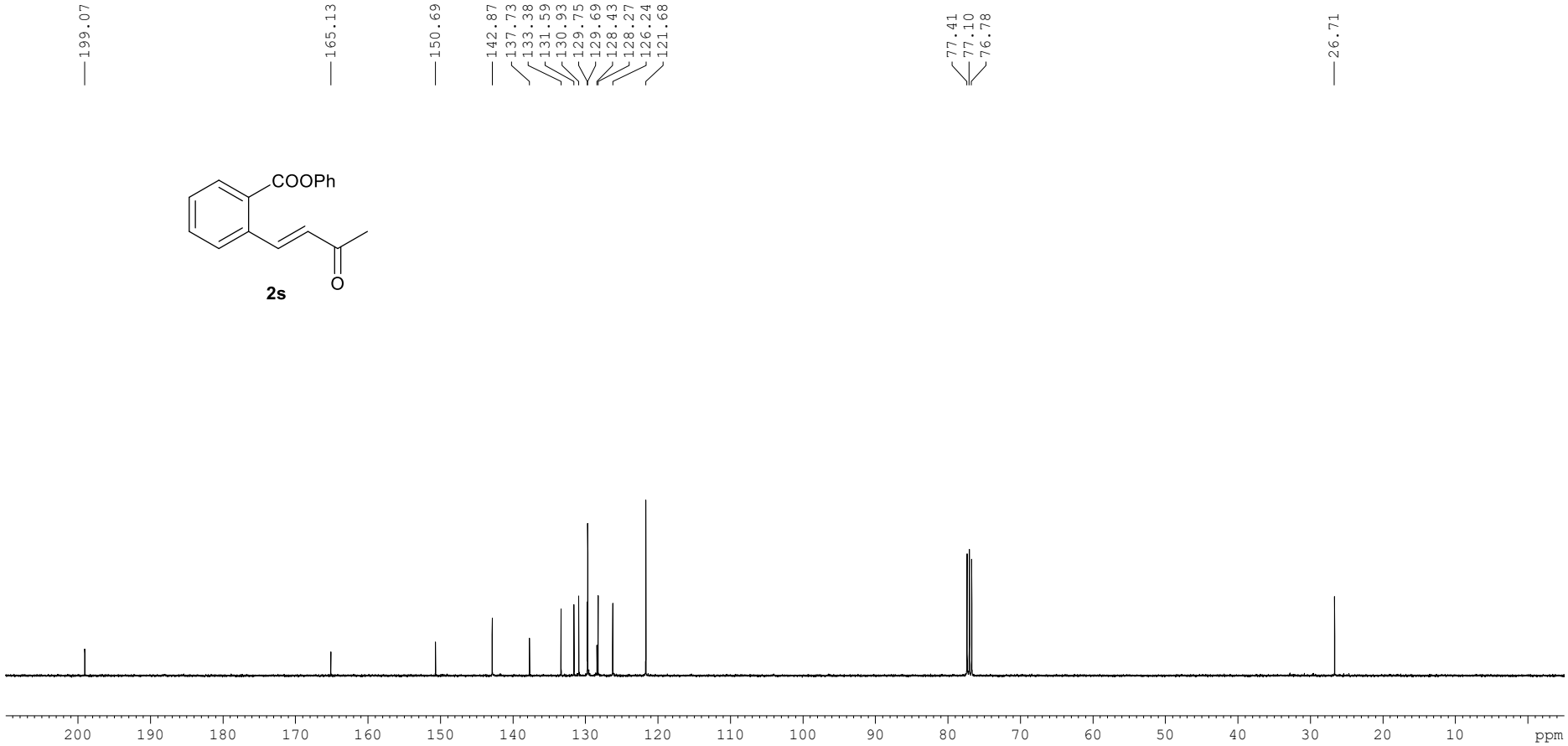
^{1}H NMR of compound **2r**



^{13}C NMR of compound **2r**

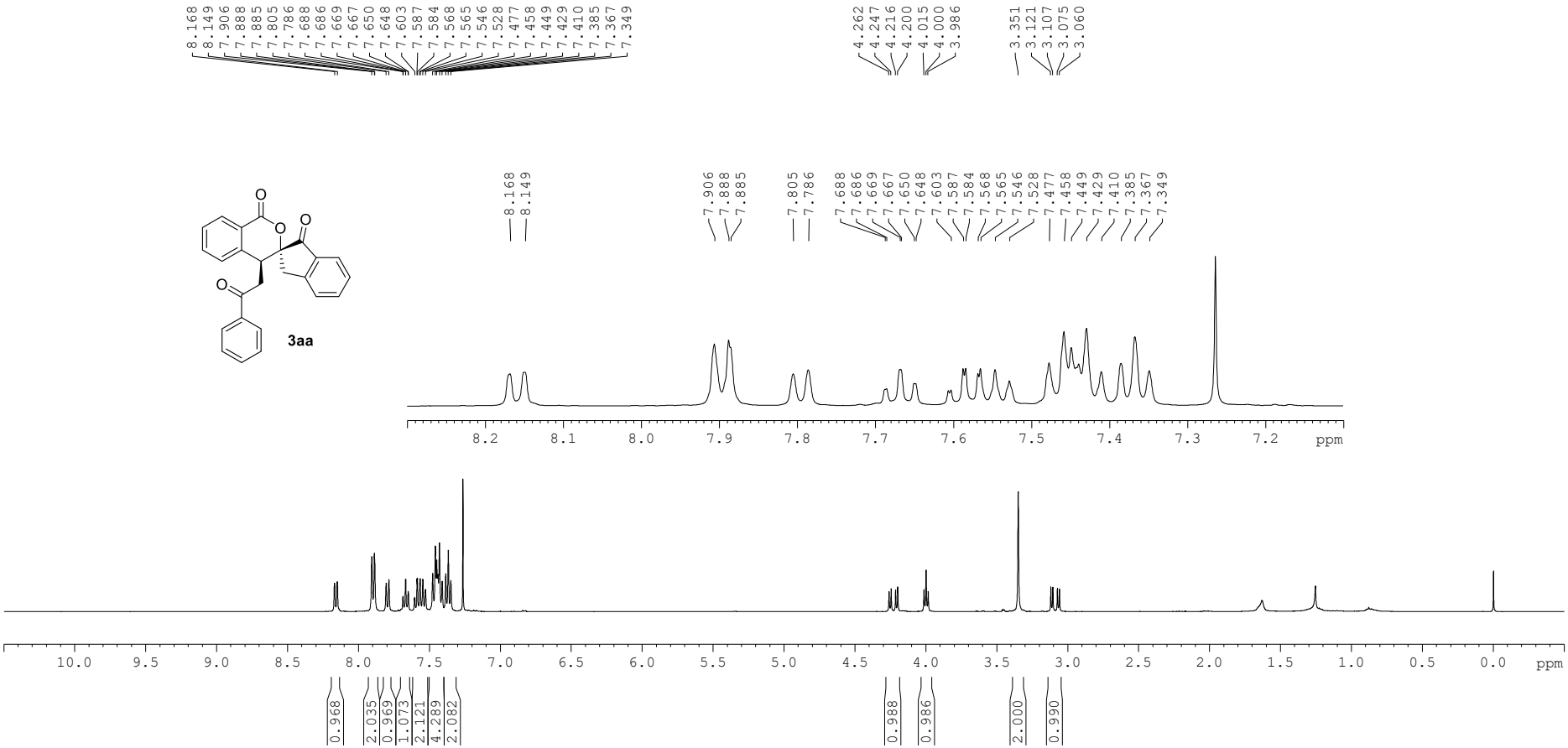


^{1}H NMR of compound **2s**

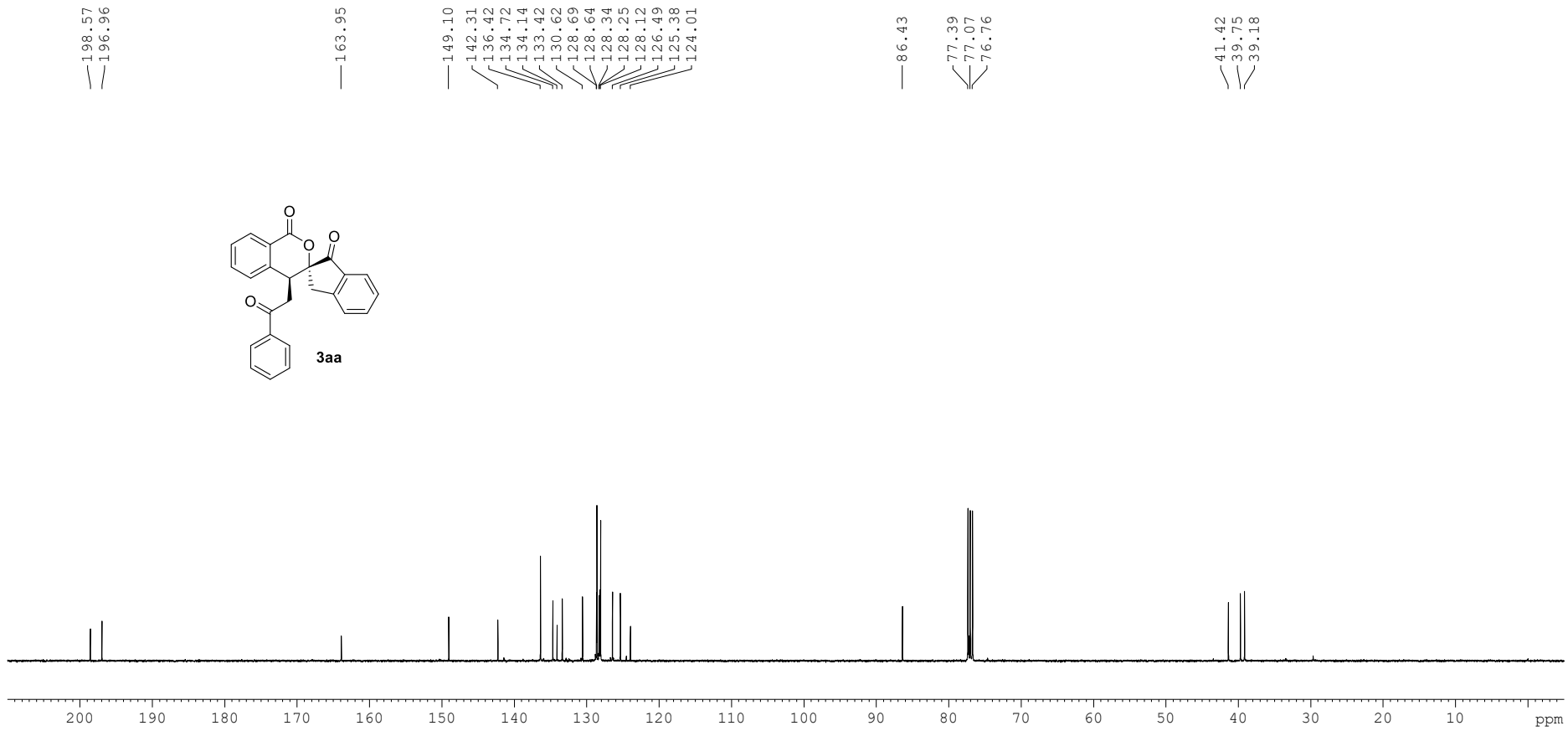


^{13}C NMR of compound **2s**

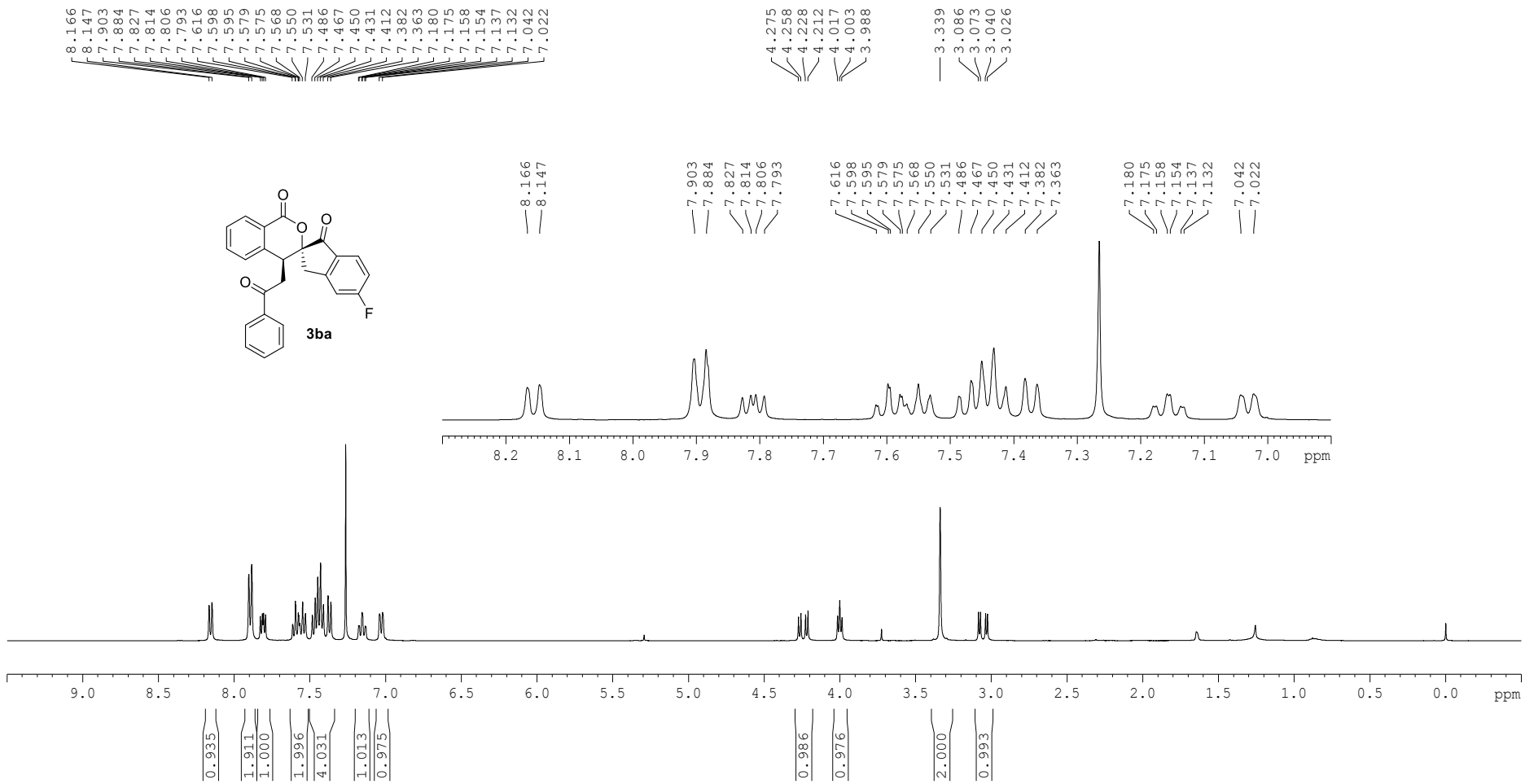
6. Copies of NMR spectra for product 3 and 4



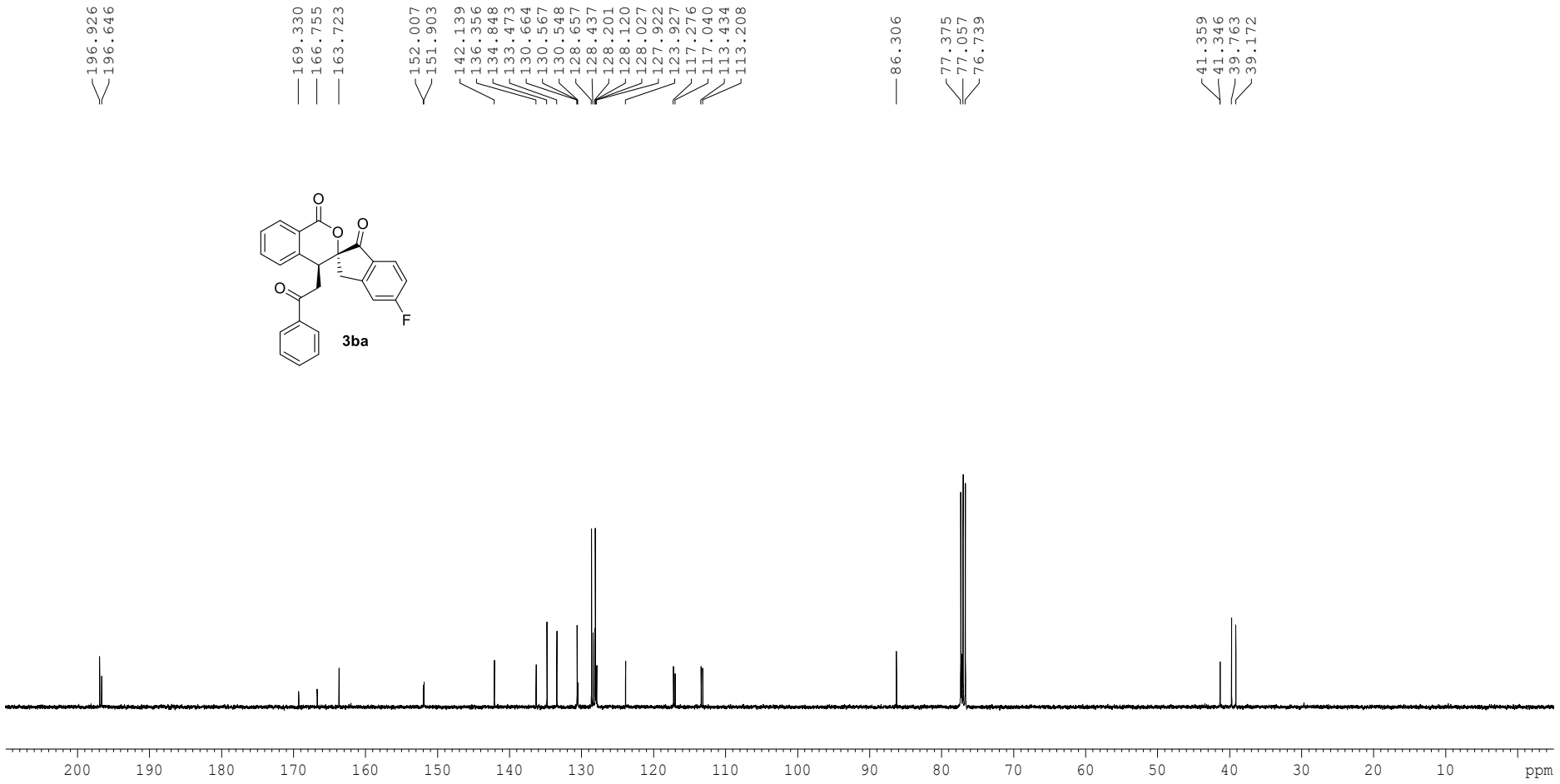
^{1}H NMR of product **3aa**



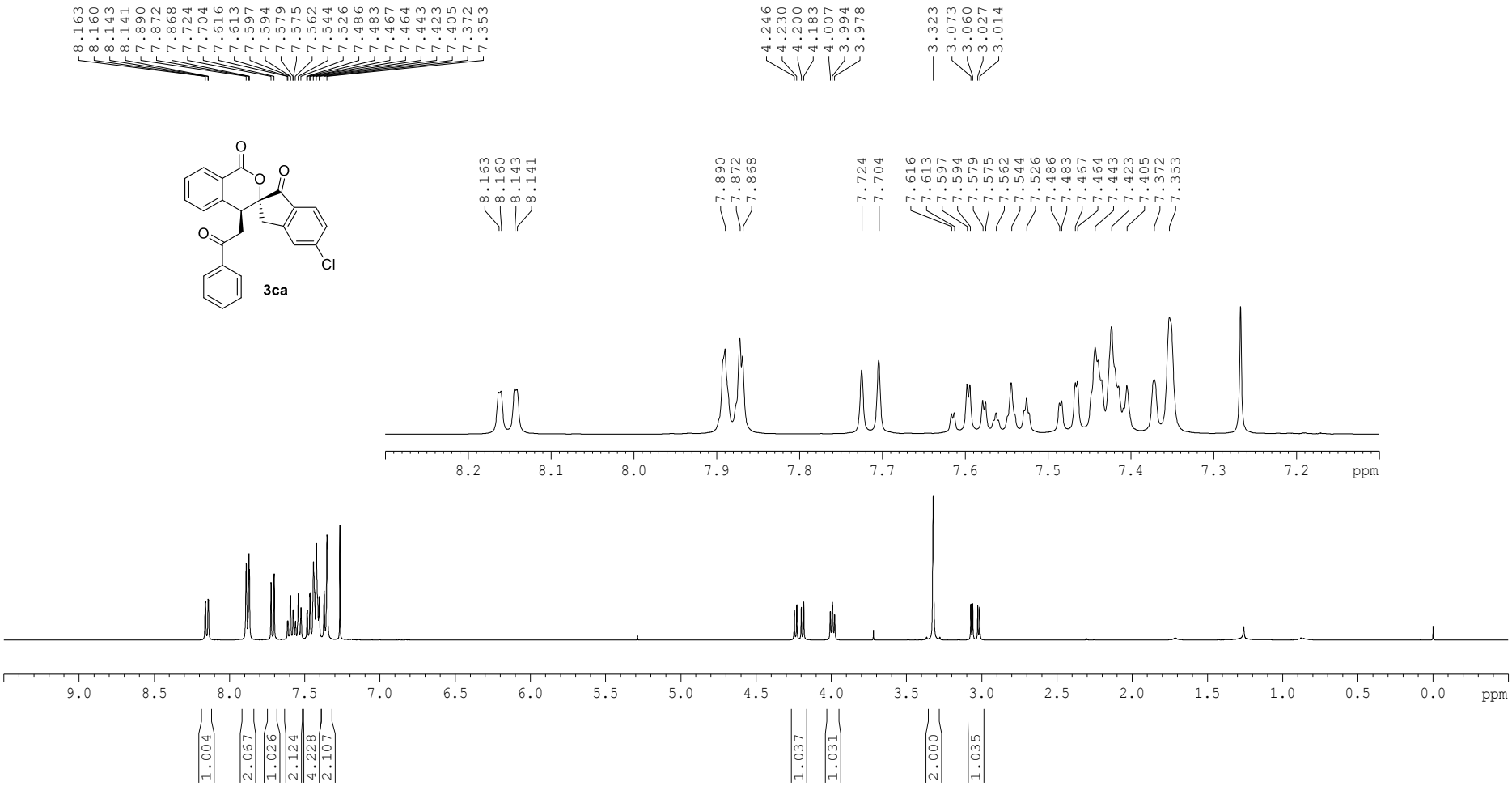
^{13}C NMR of product **3aa**



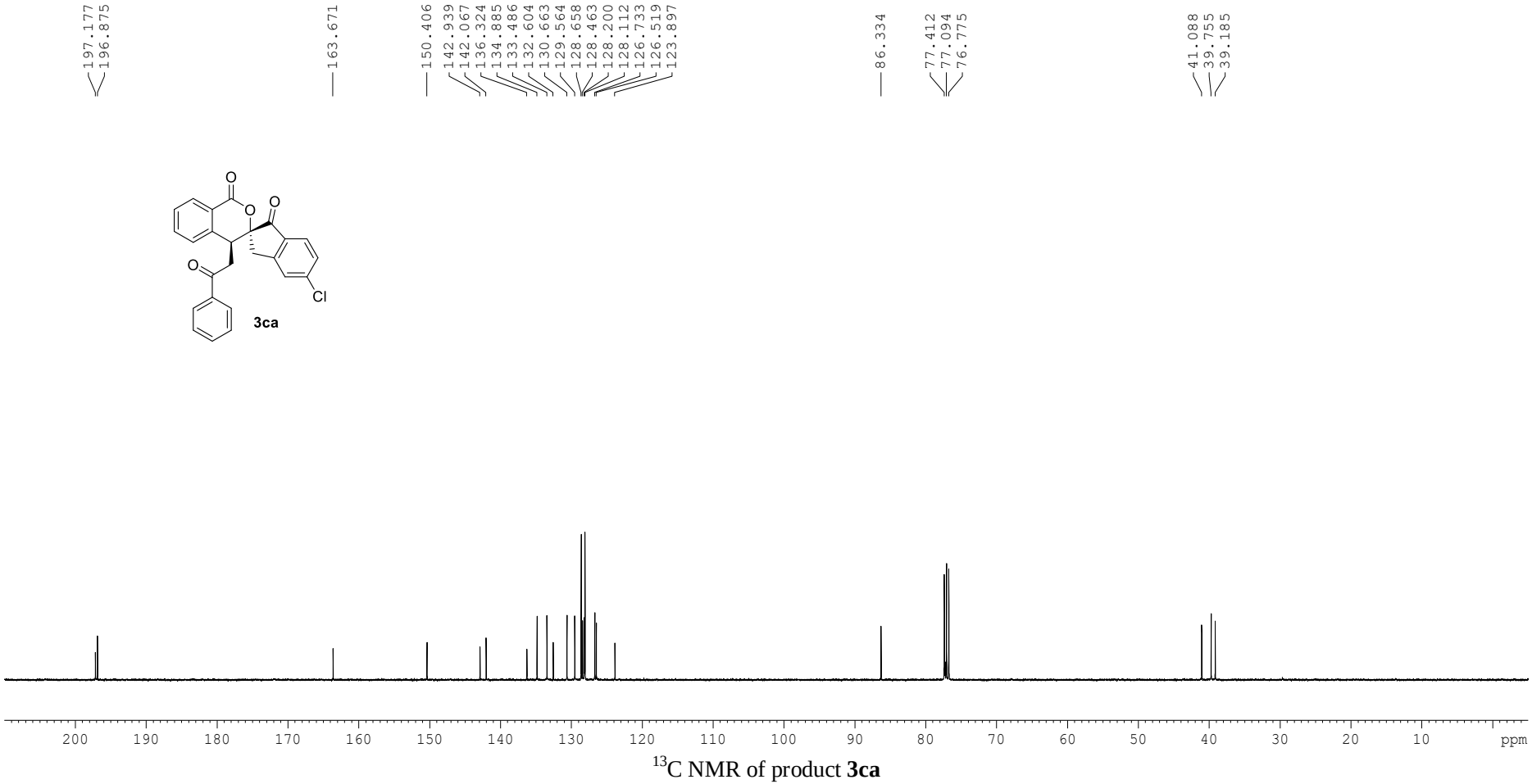
^{1}H NMR of product **3ba**



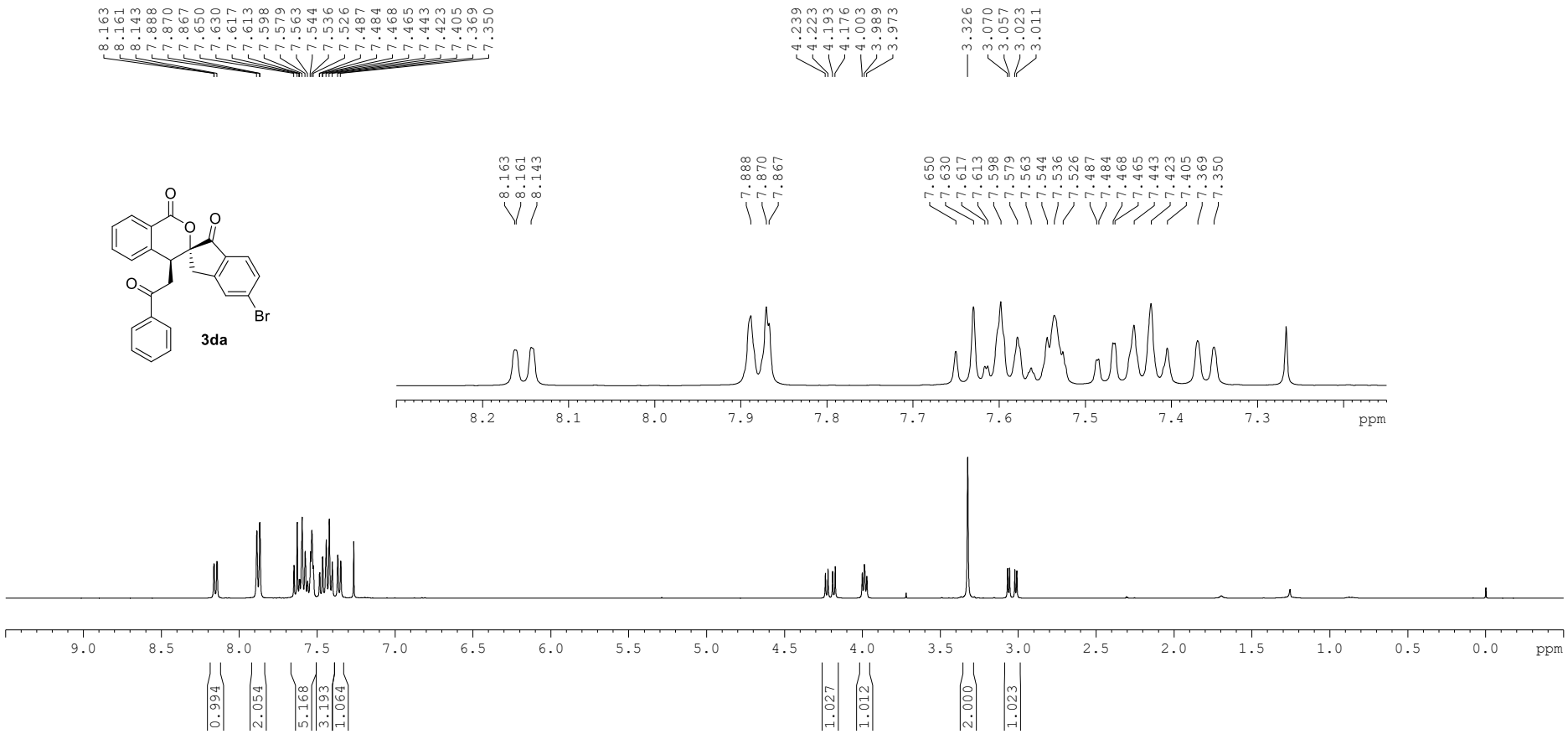
^{13}C NMR of product **3ba**



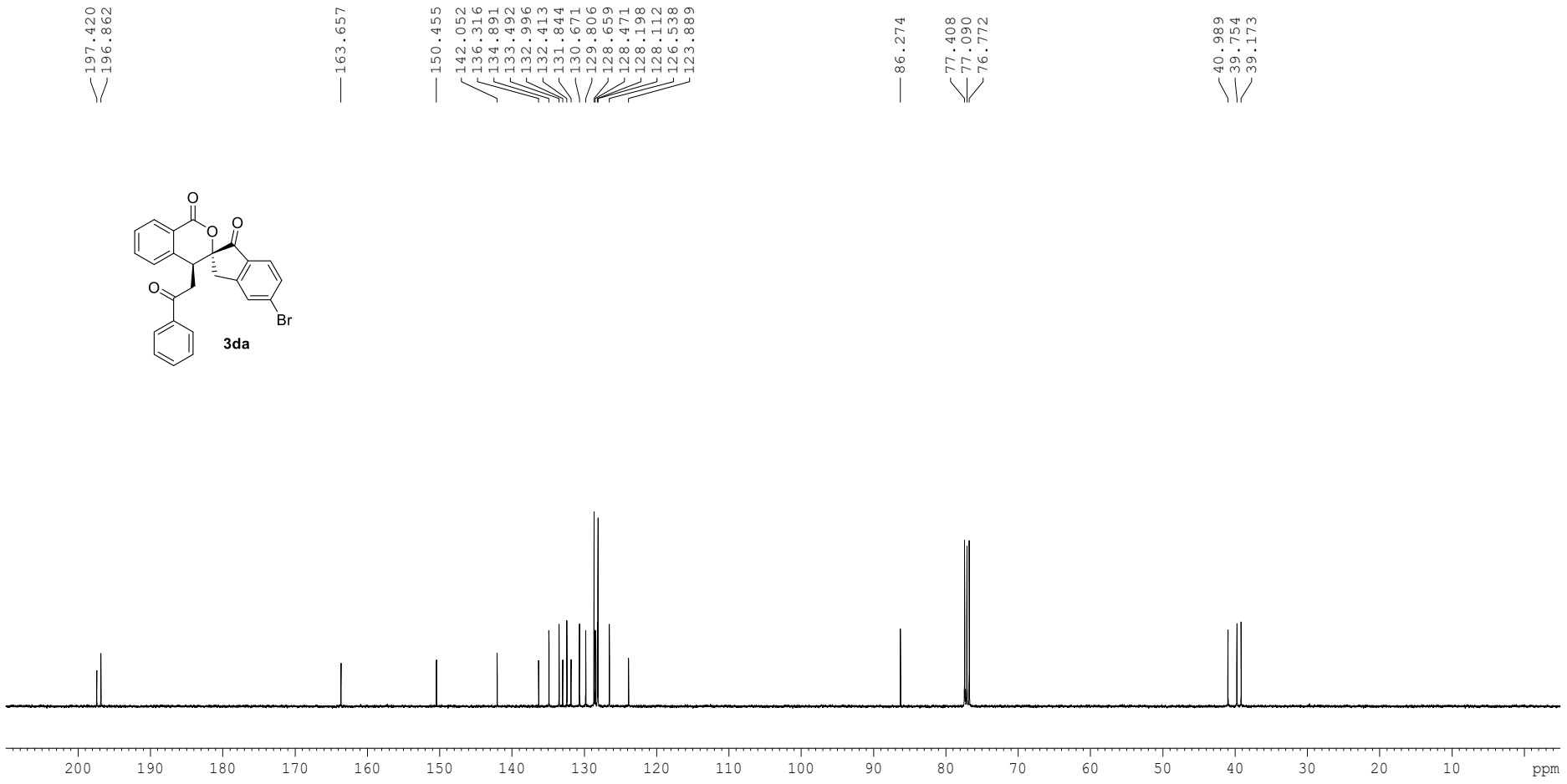
^{1}H NMR of product **3ca**



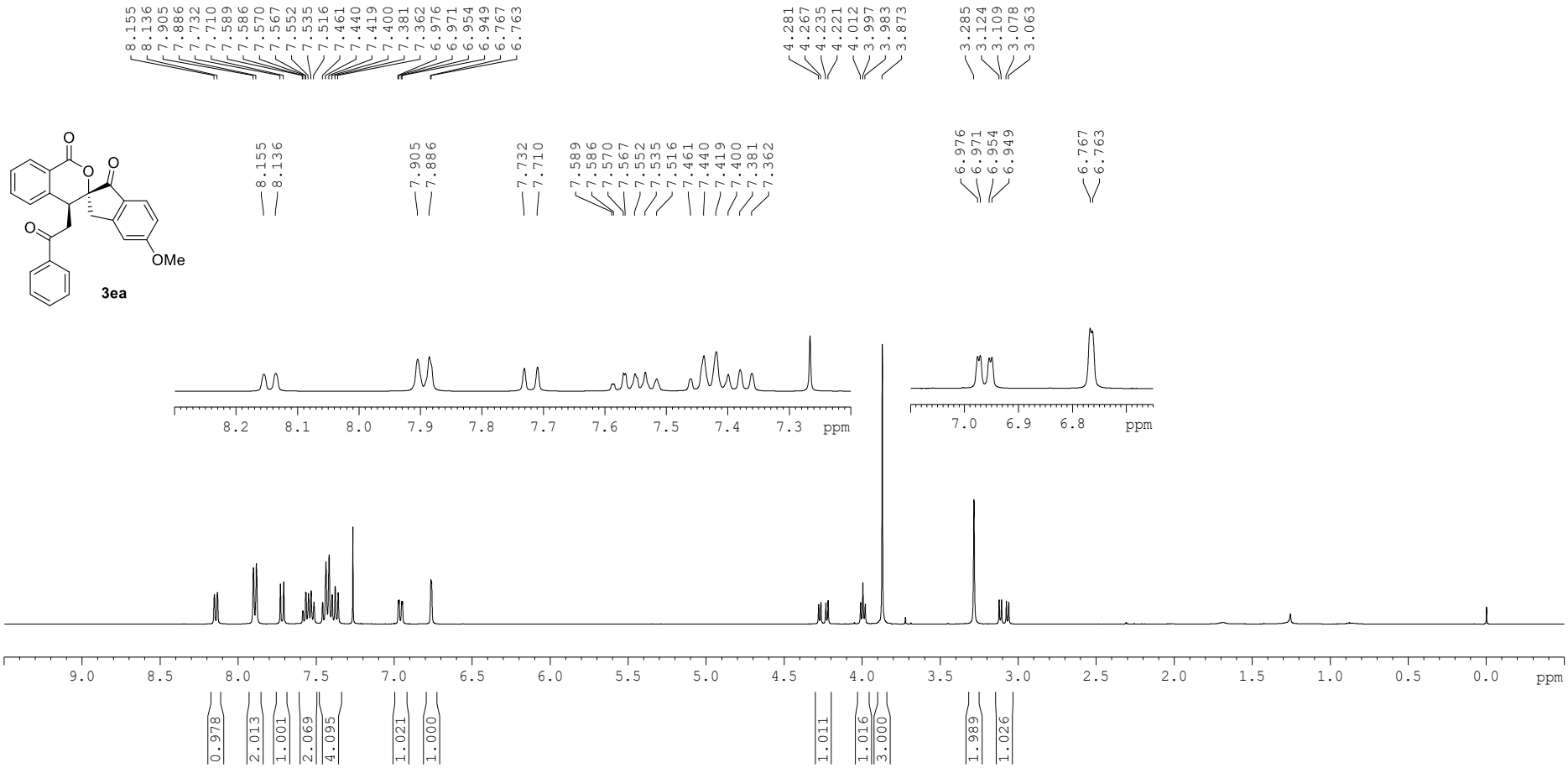
^{13}C NMR of product **3ca**



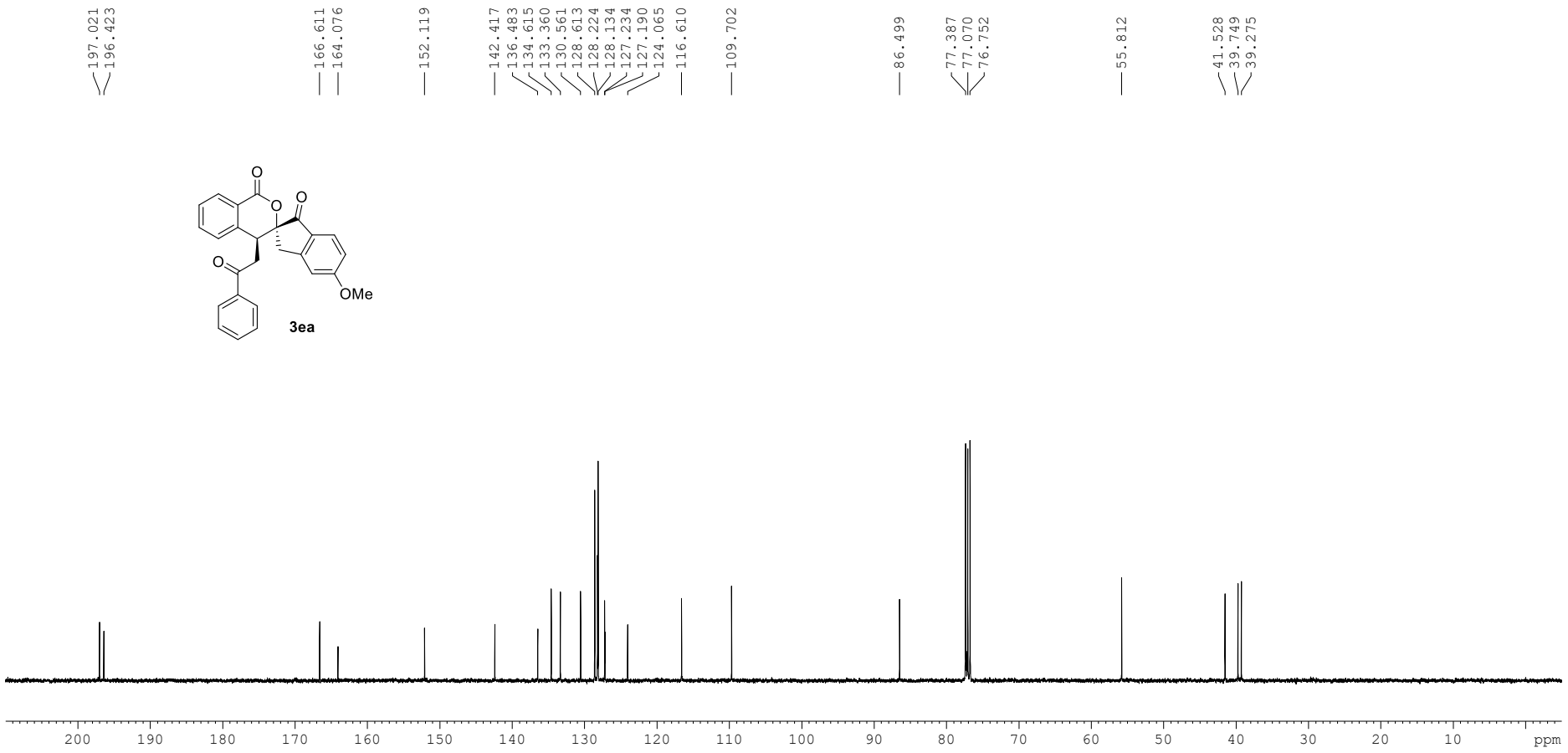
^{1}H NMR of product **3da**



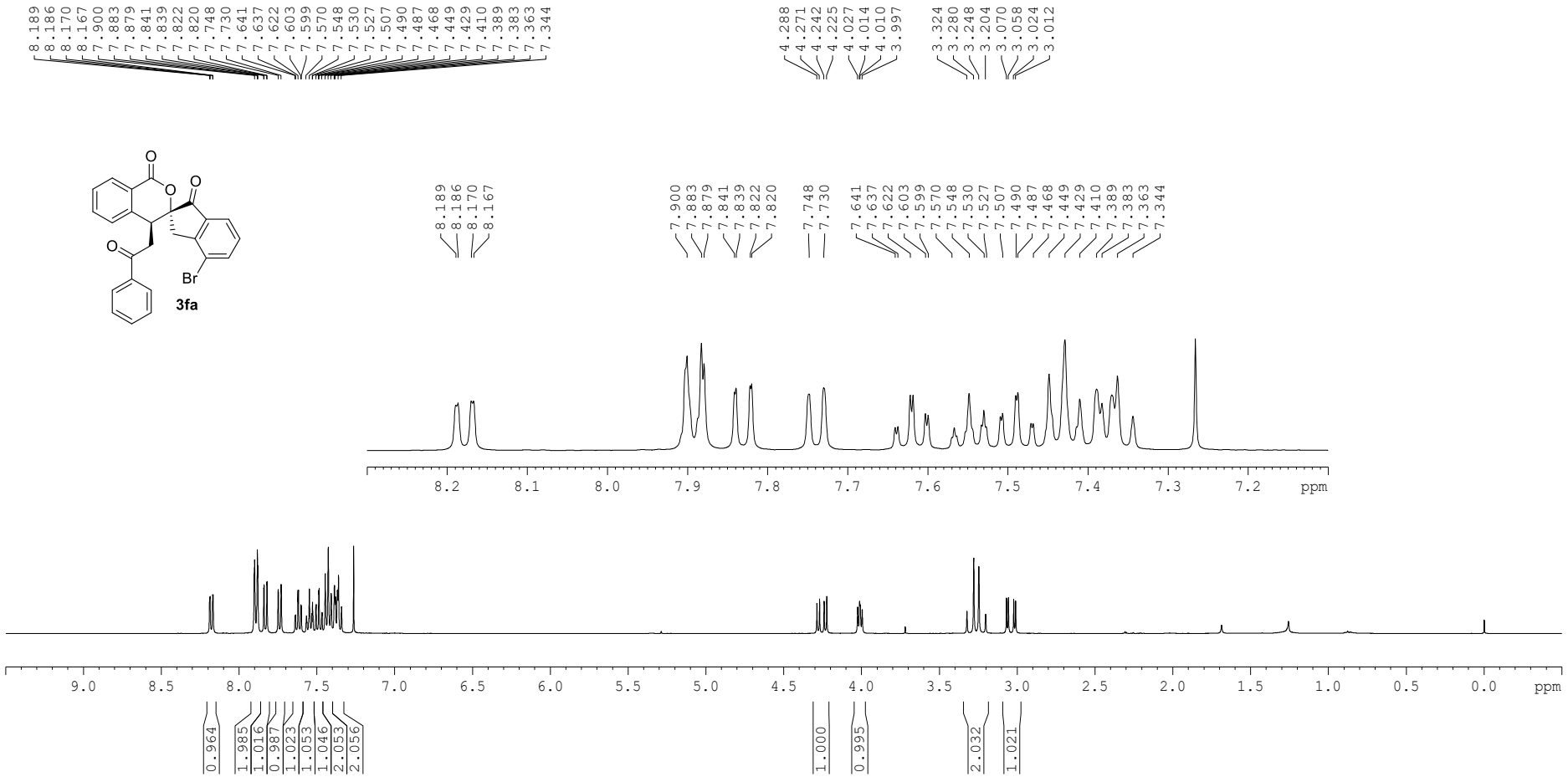
^{13}C NMR of product **3da**



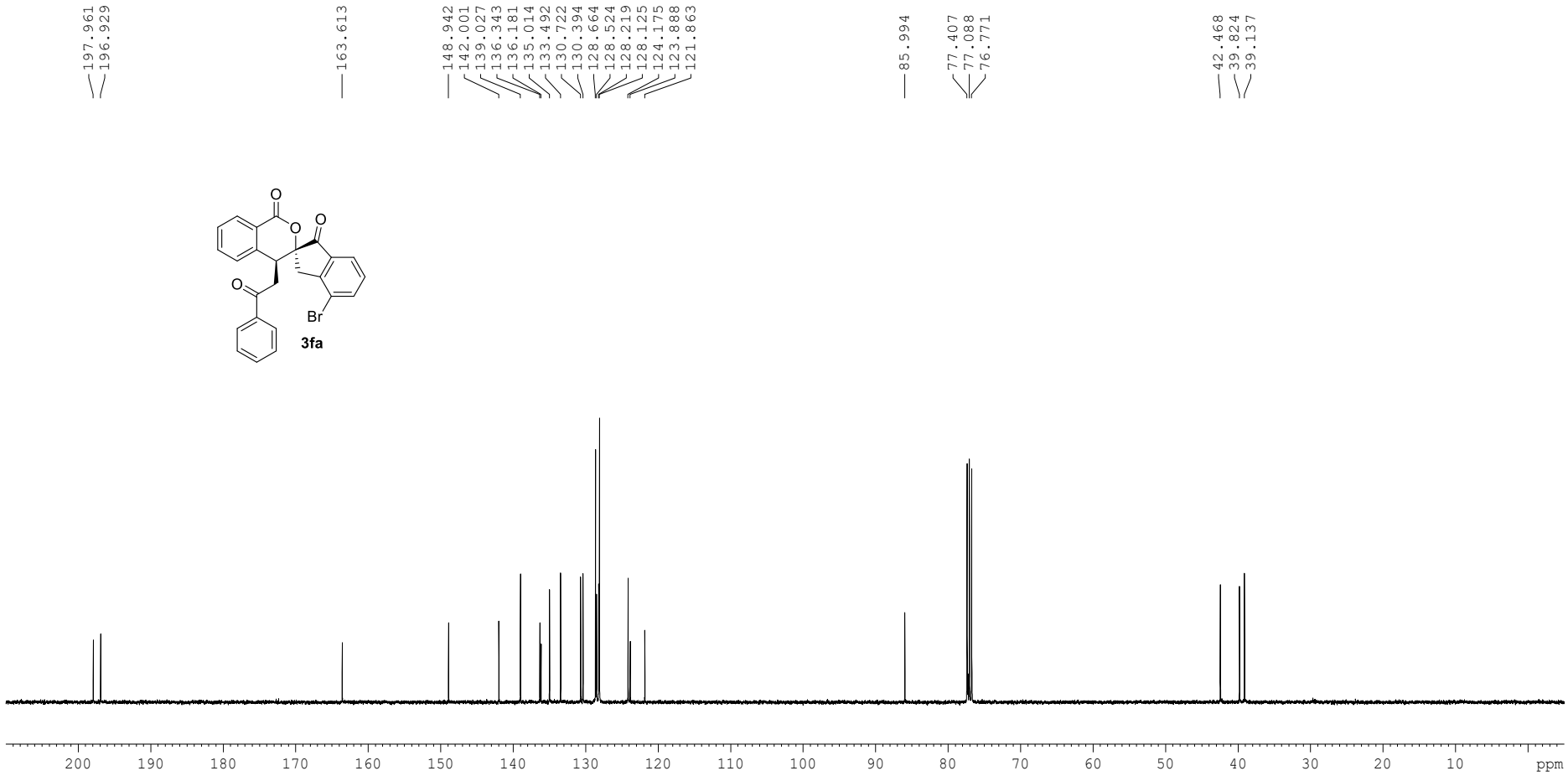
^{1}H NMR of product **3ea**



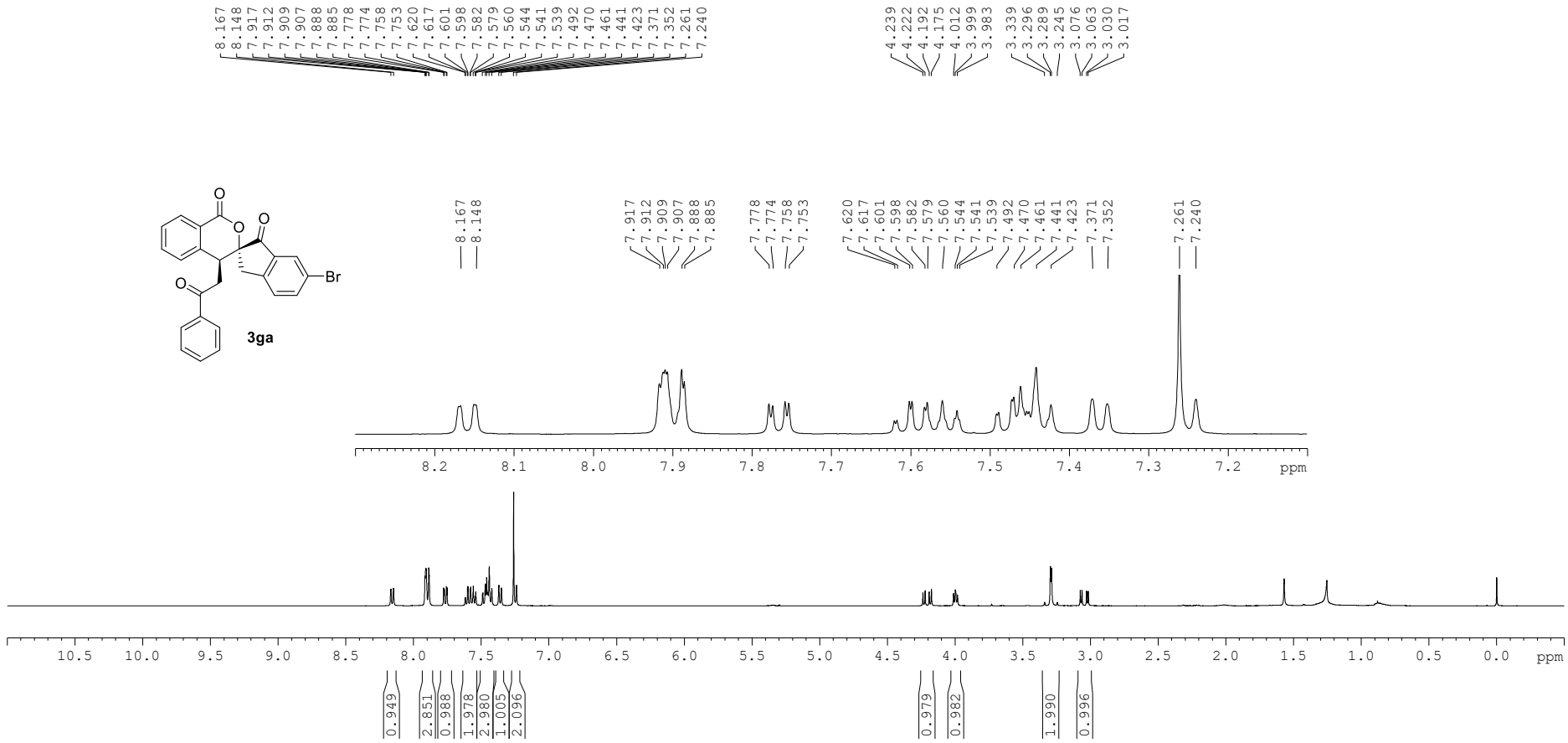
^{13}C NMR of product **3ea**



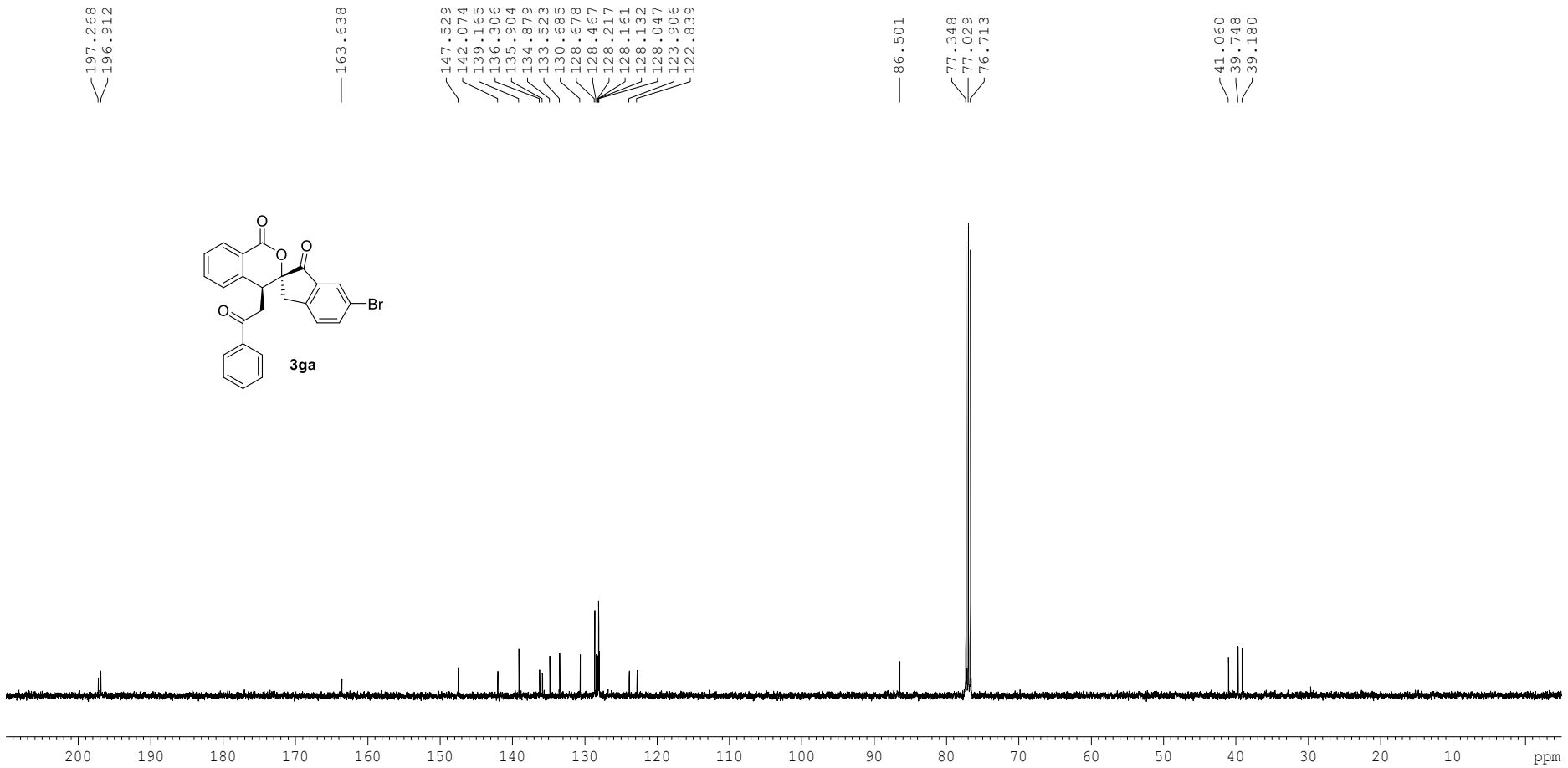
^{1}H NMR of product **3fa**



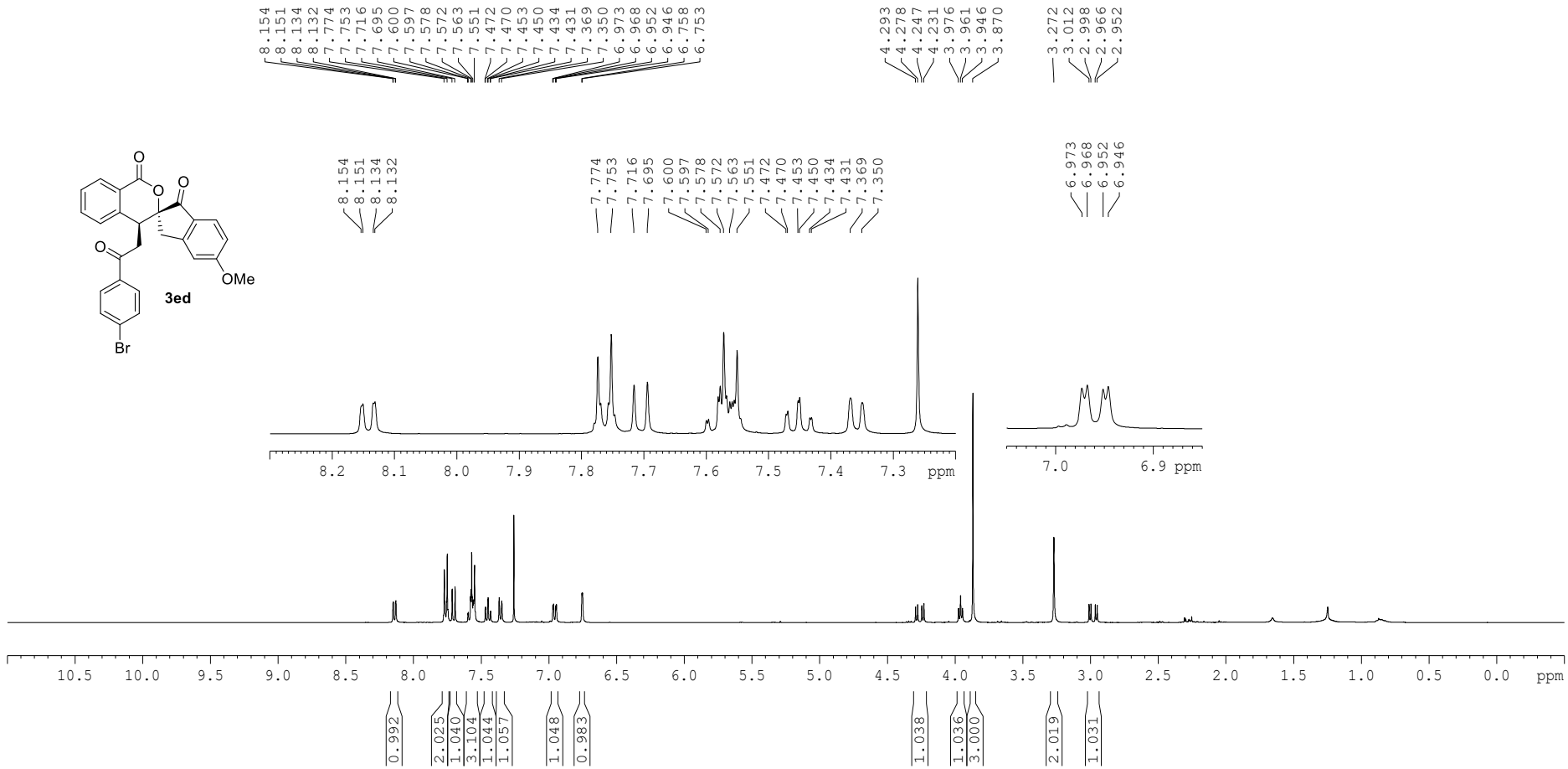
^{13}C NMR of product **3fa**



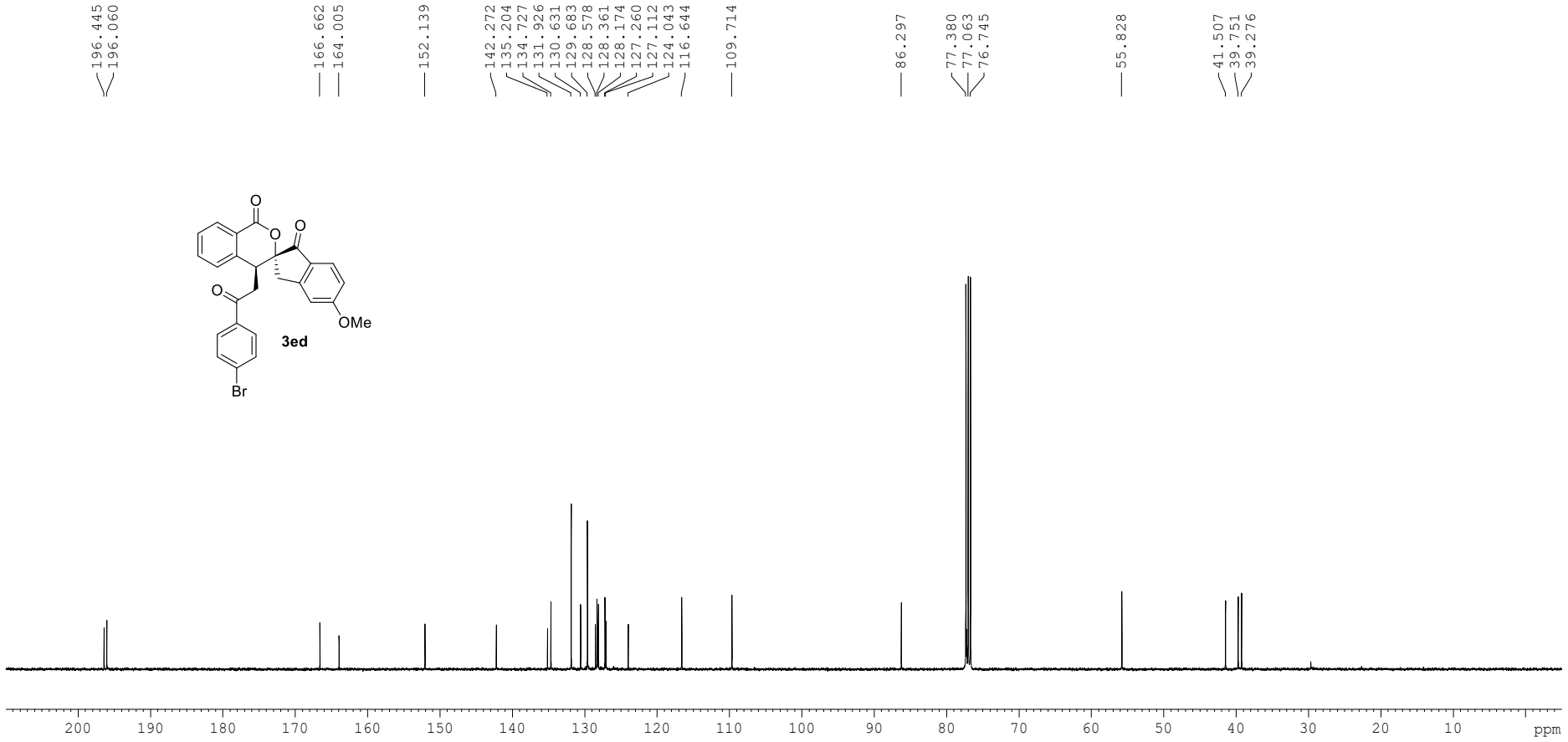
^{1}H NMR of product **3ga**



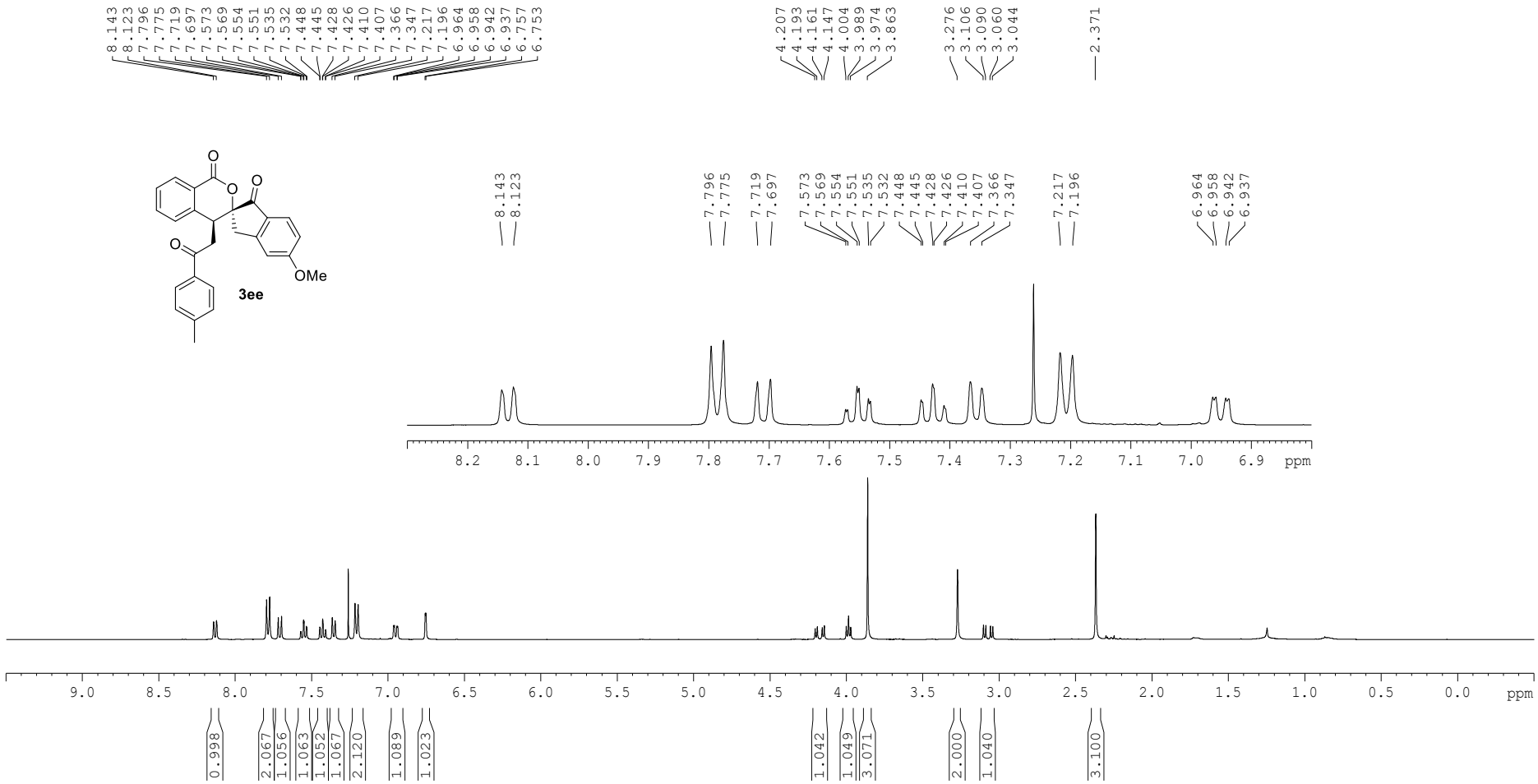
^{13}C NMR of product **3ga**



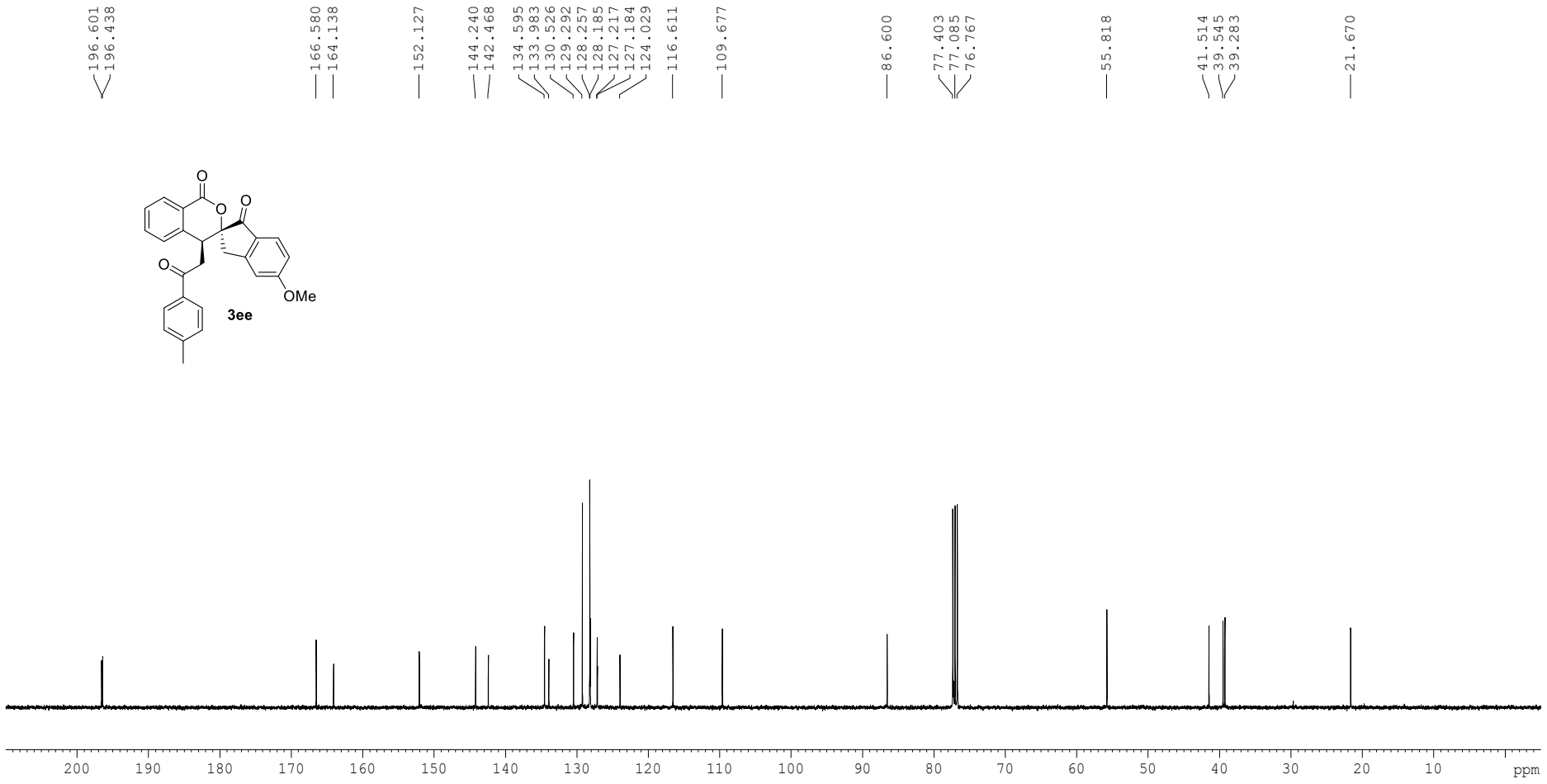
^{1}H NMR of product **3ed**



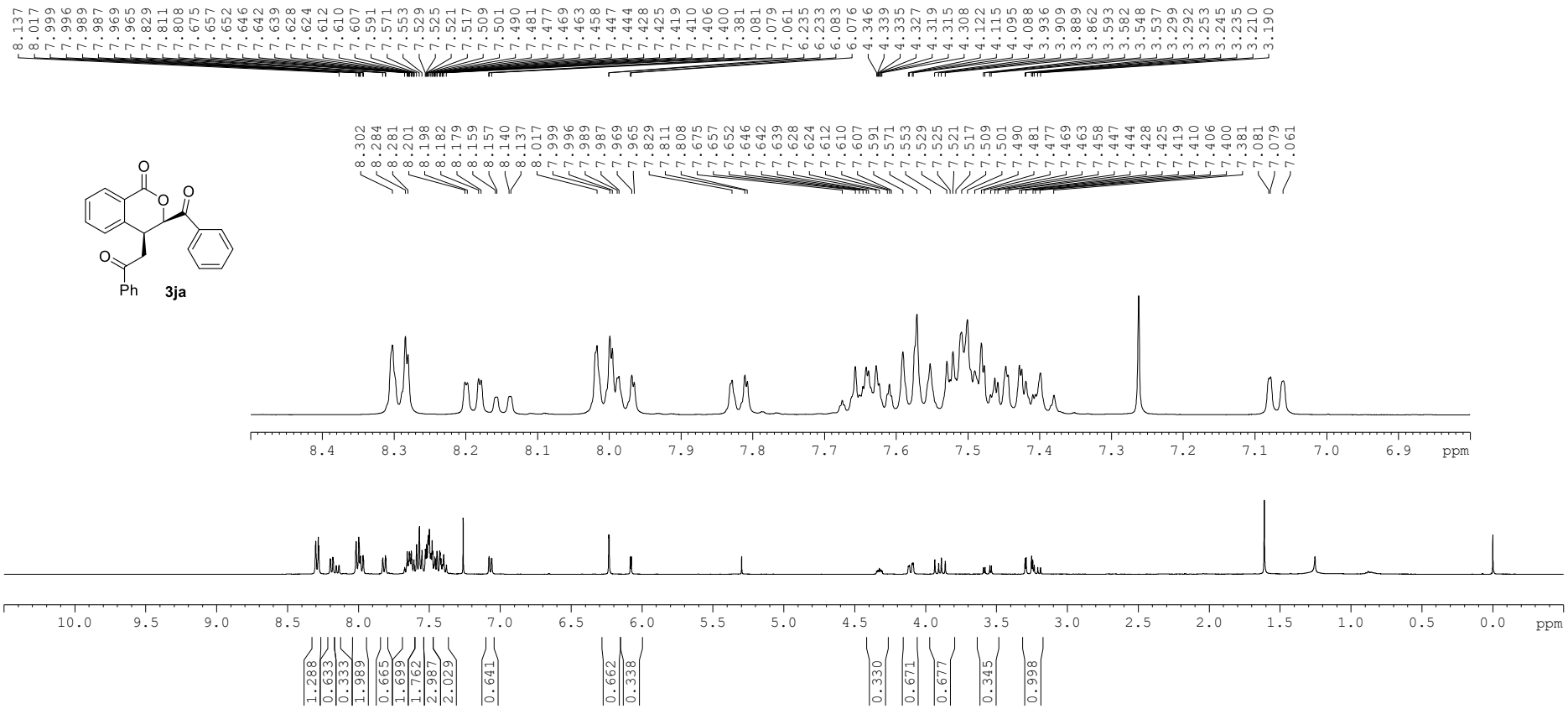
^{13}C NMR of product **3ed**



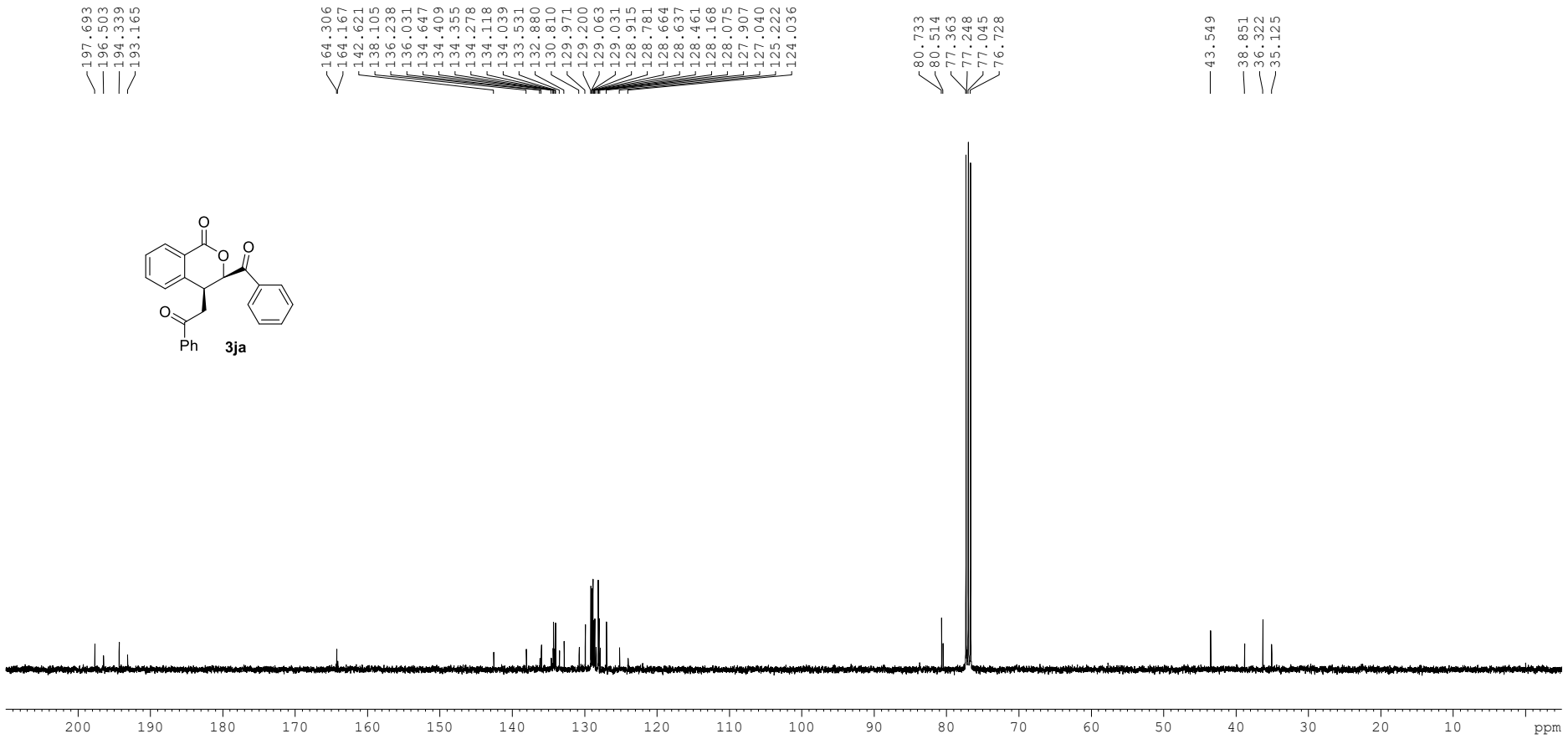
^{1}H NMR of product **3ee**



^{13}C NMR of product **3ee**



^{1}H NMR of product **3ja**



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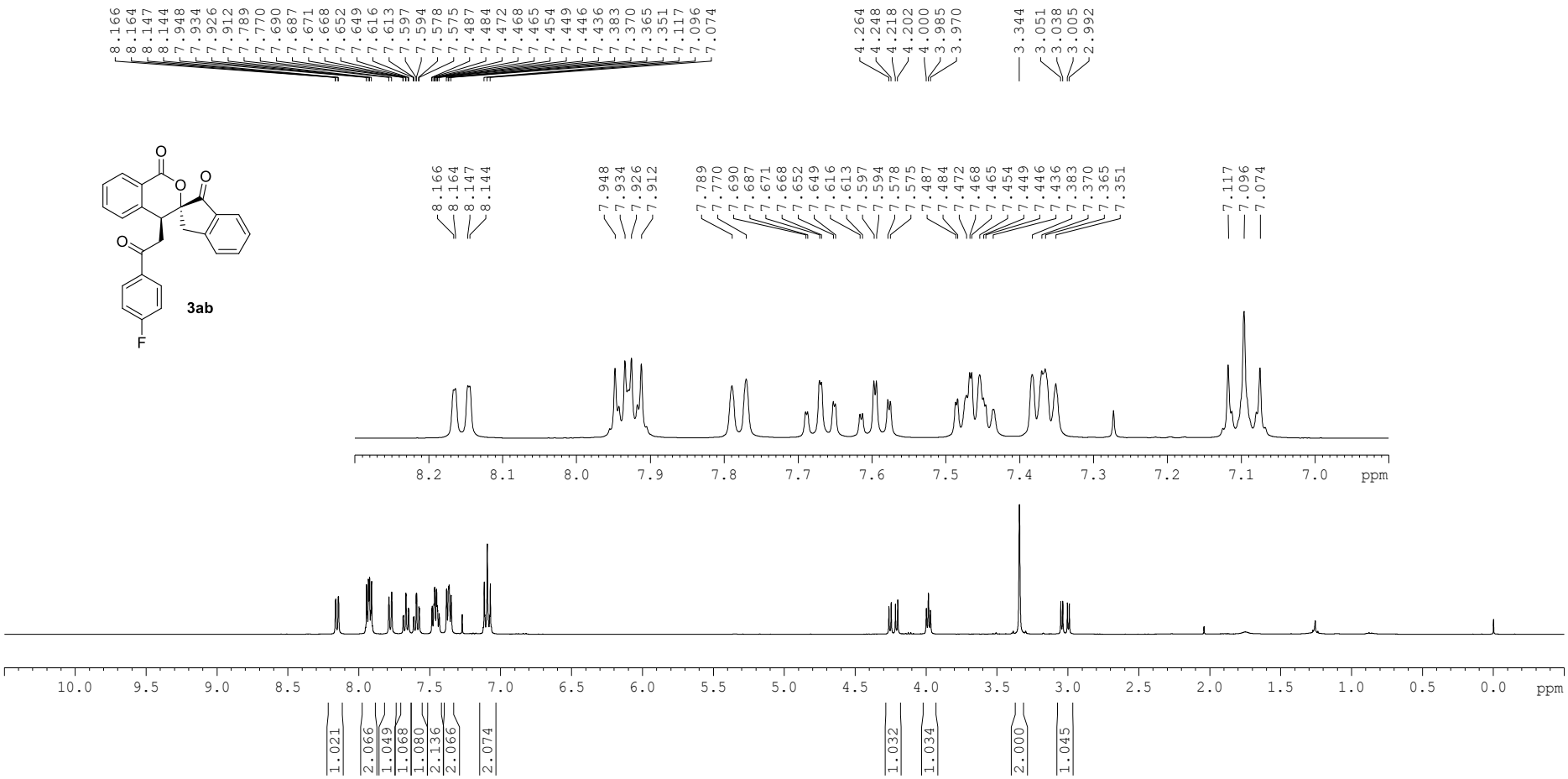
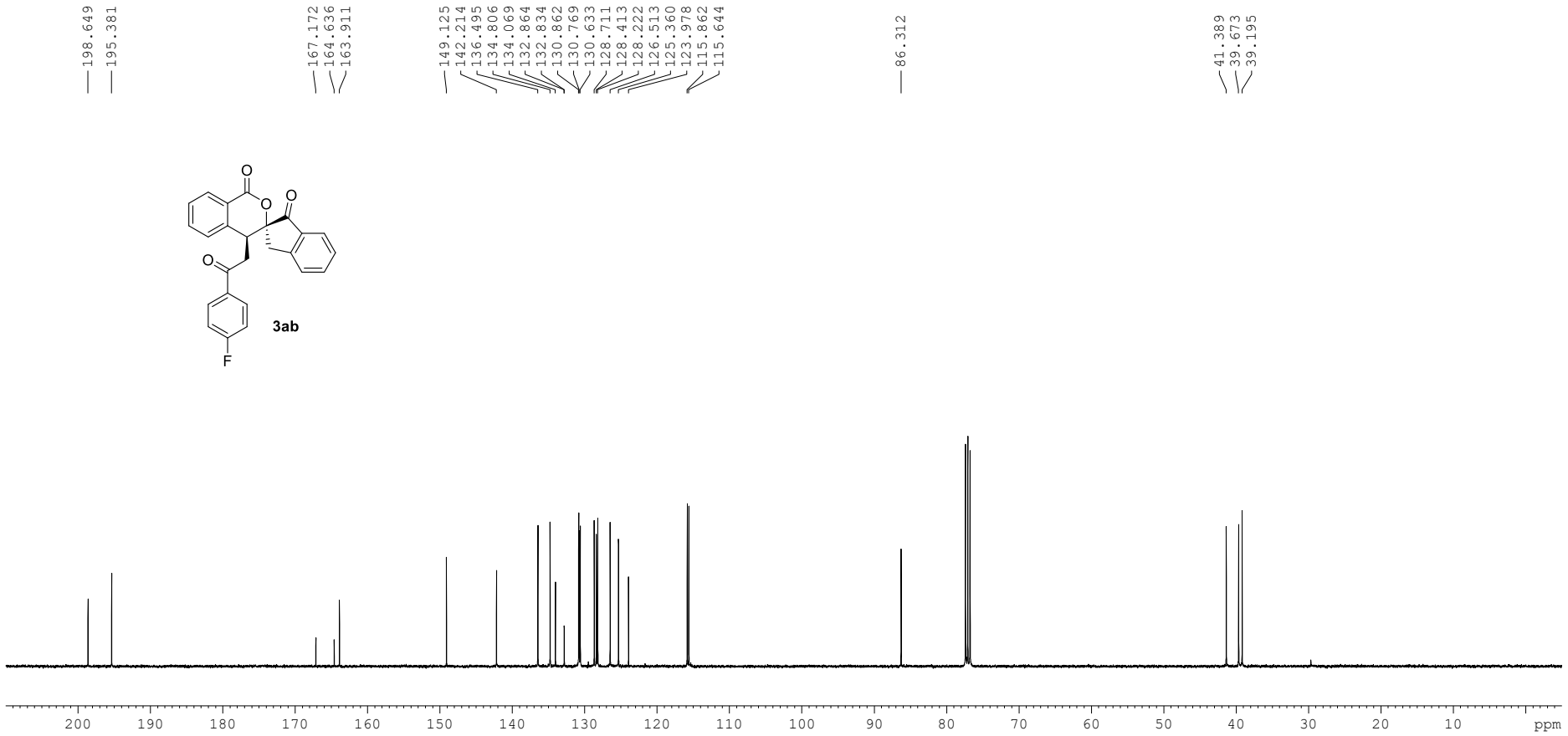


^{1}H NMR of product **3ab**



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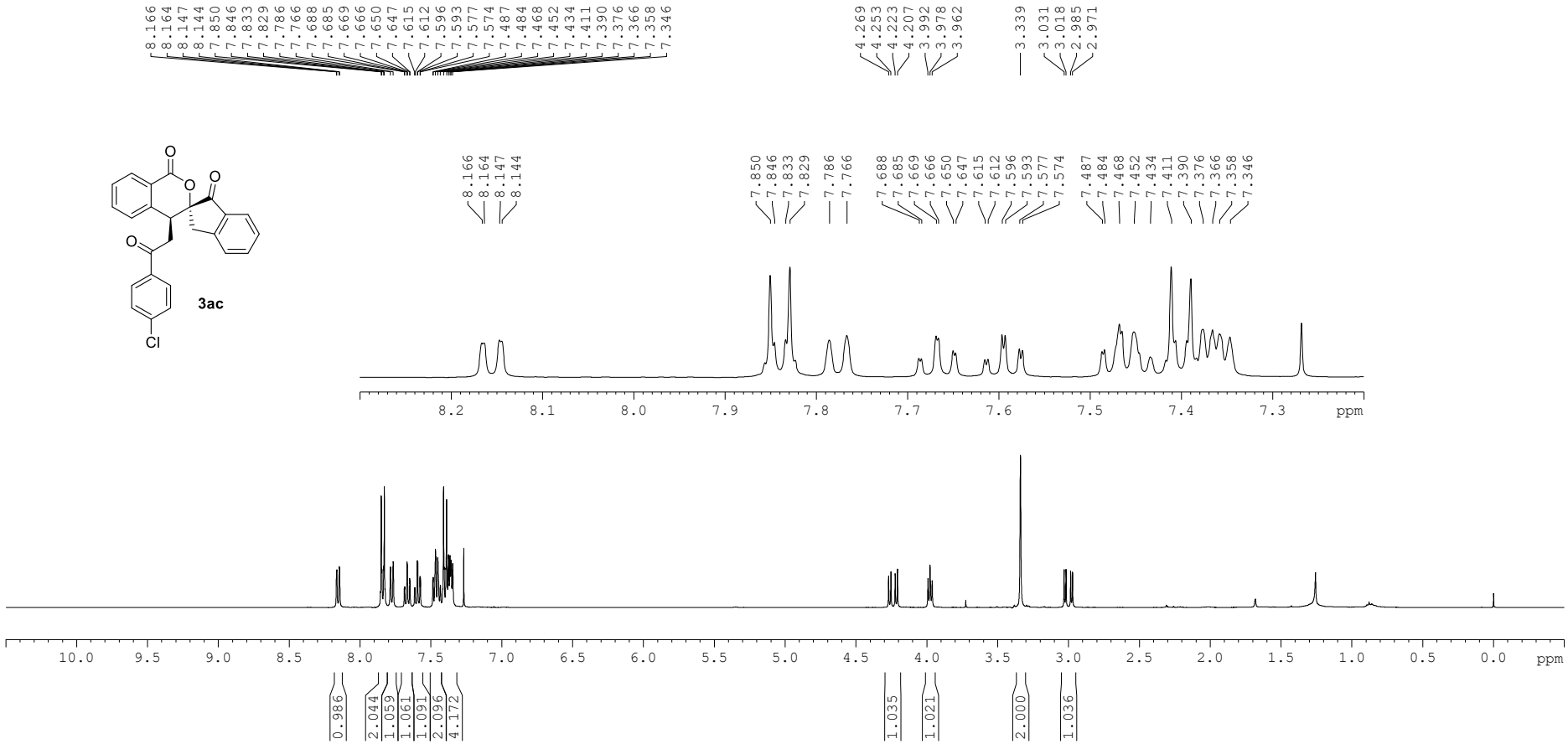
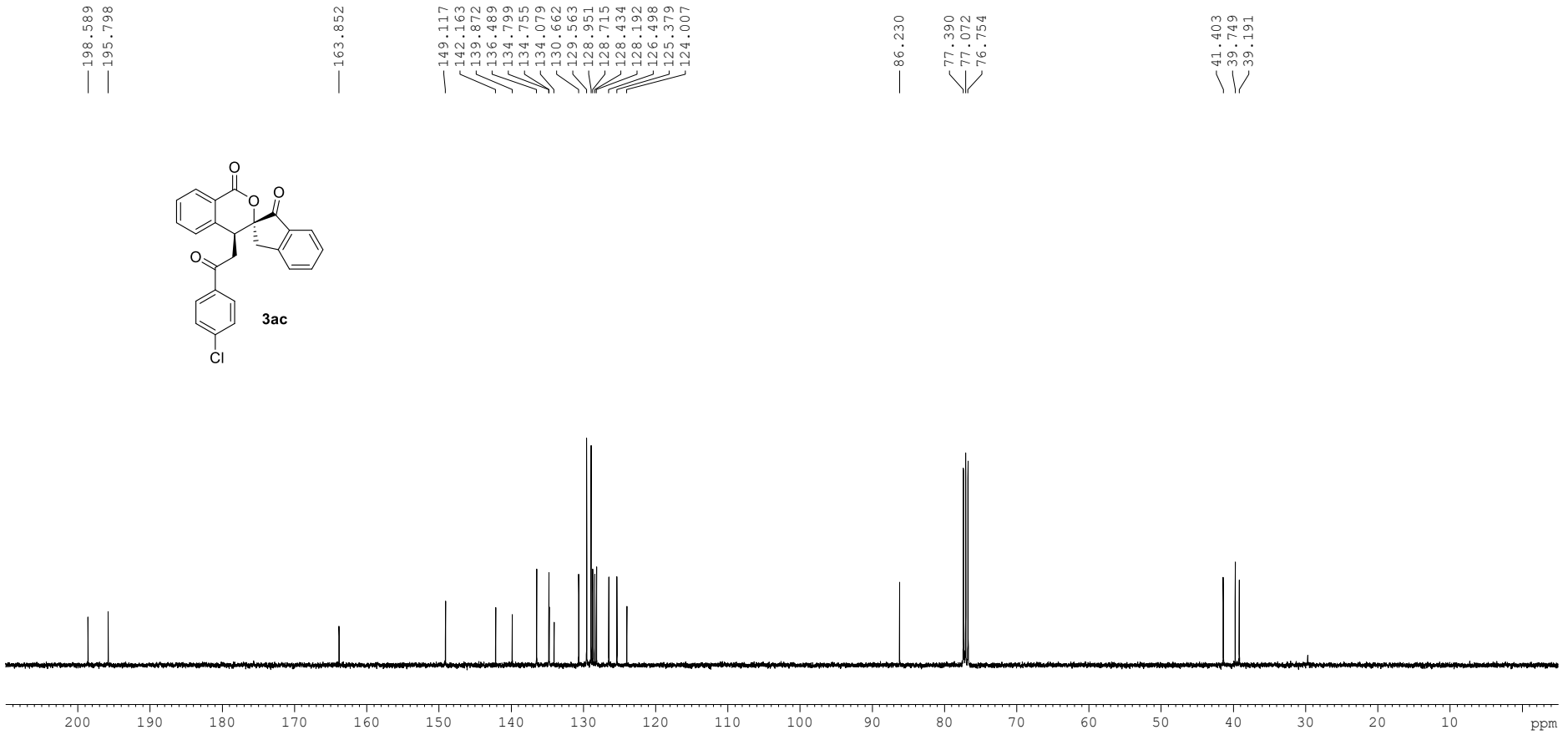
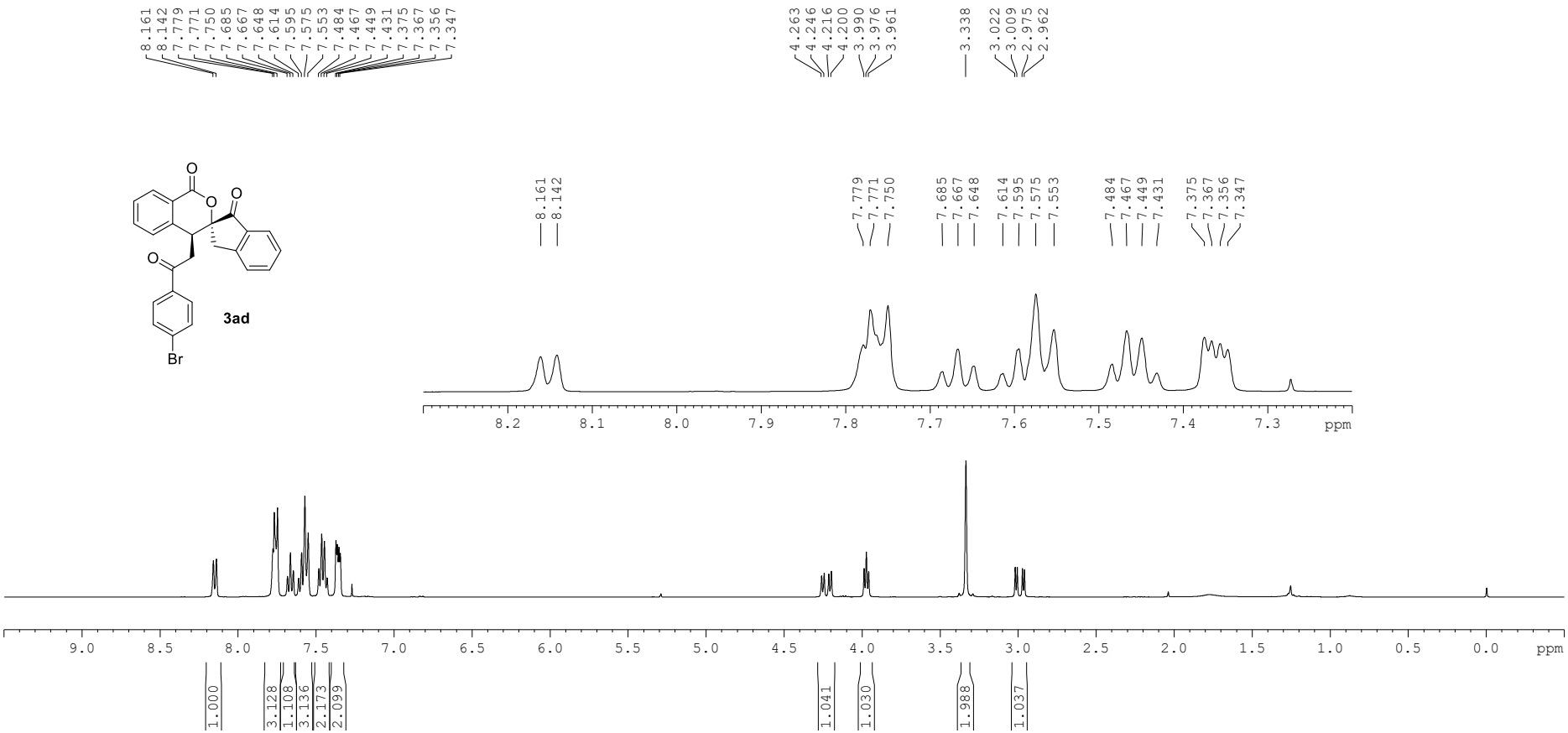


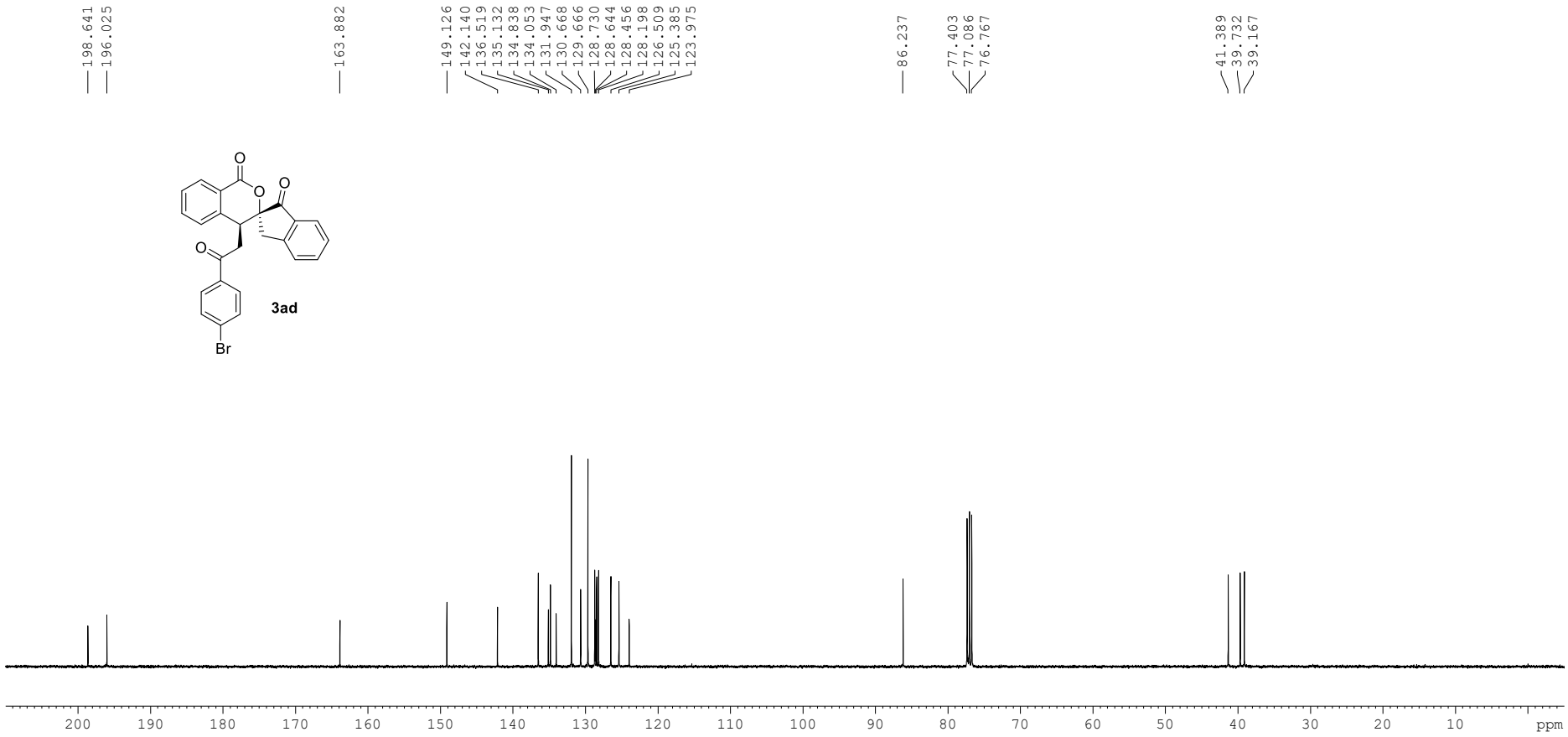
^{1}H NMR of product **3ac**



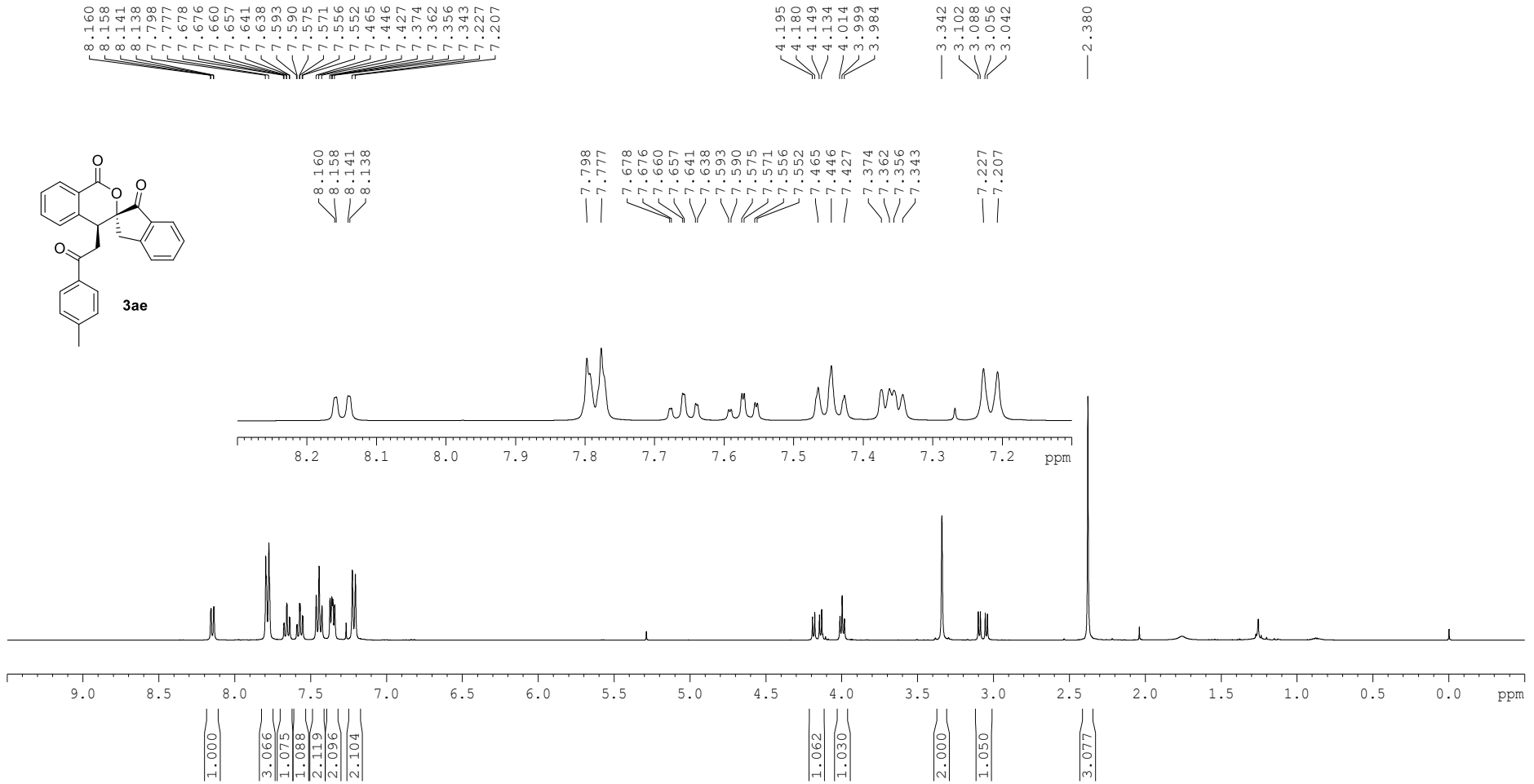
^{13}C NMR of product **3ac**



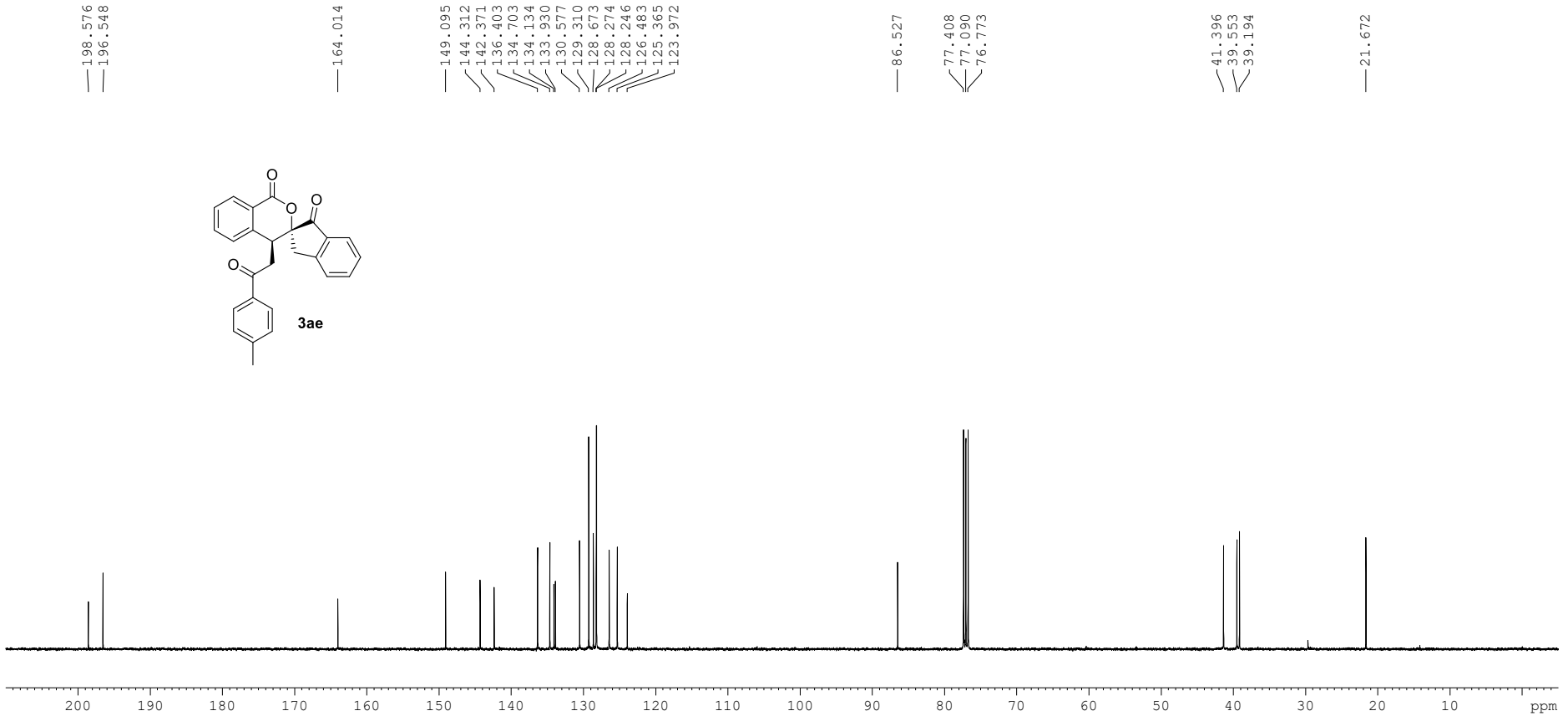
^{1}H NMR of product **3ad**



^{13}C NMR of product **3ad**



^{1}H NMR of product **3ae**



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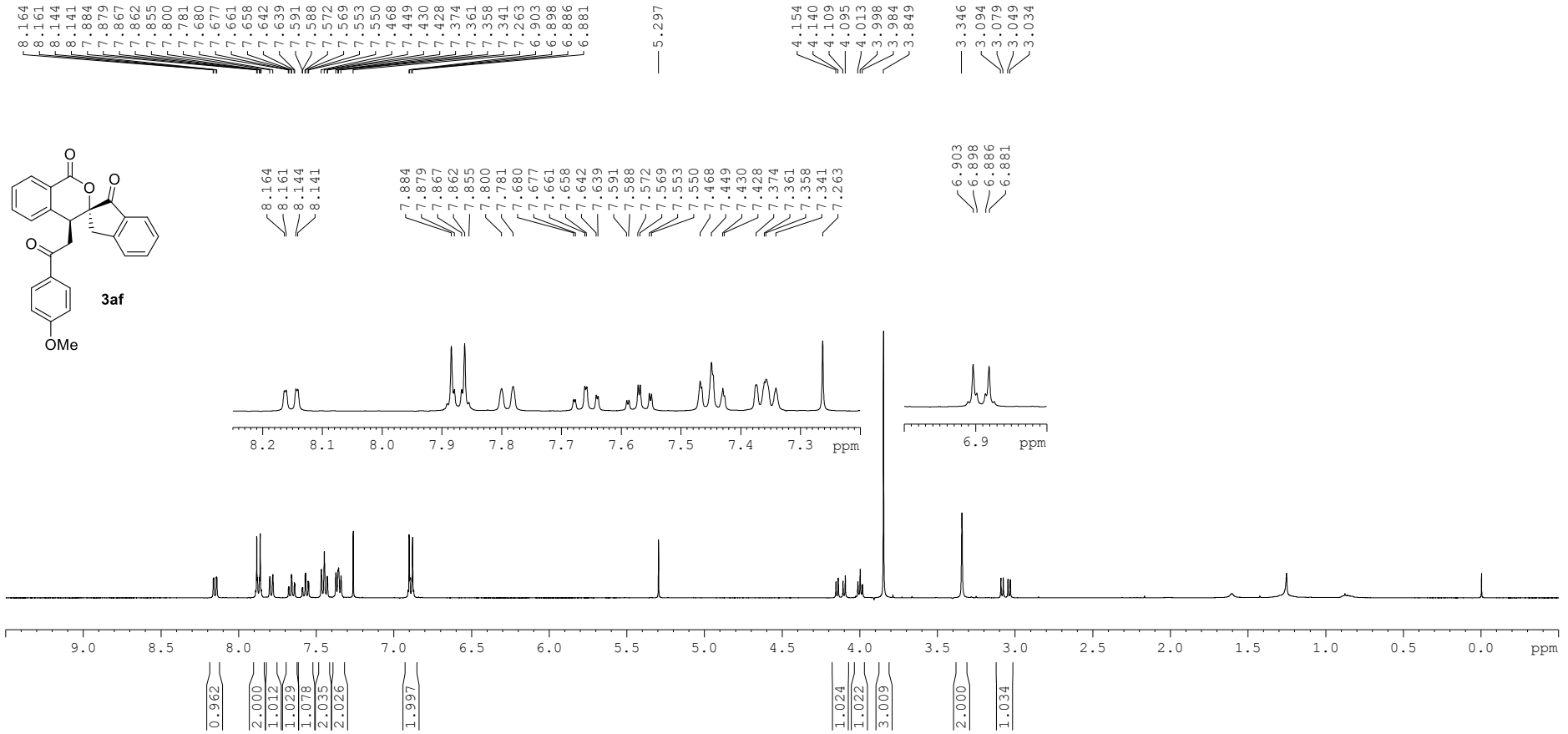

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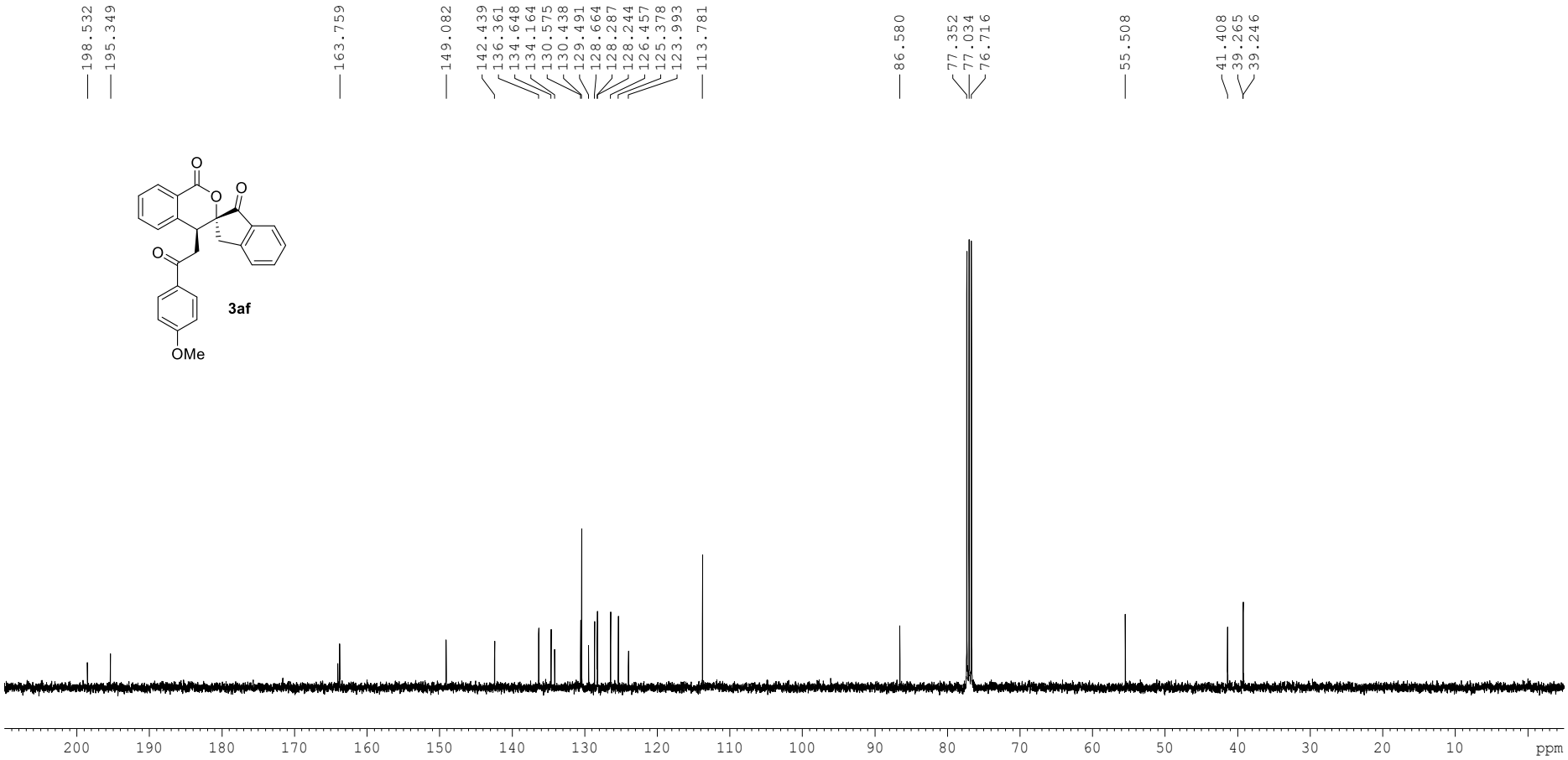

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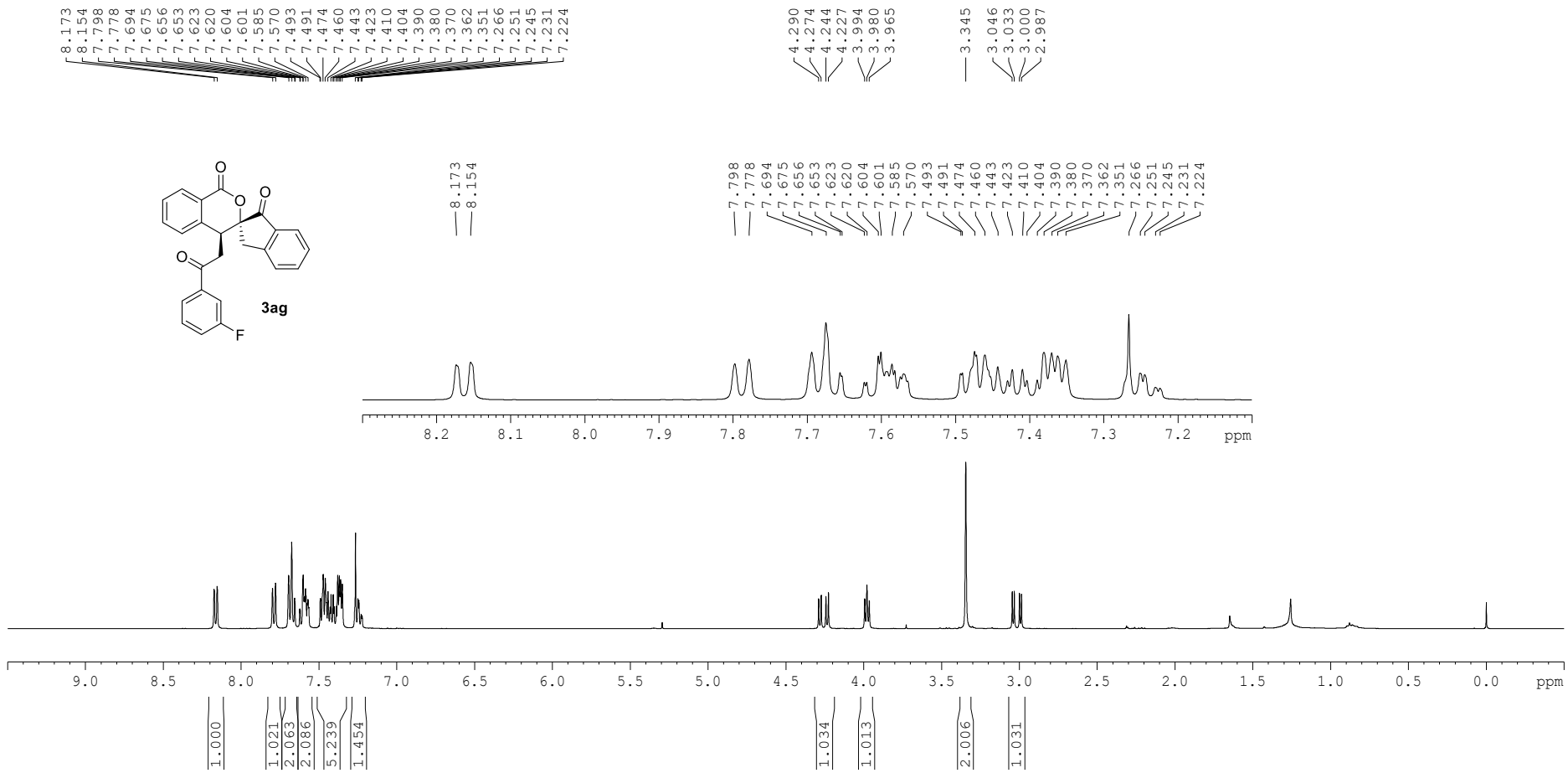
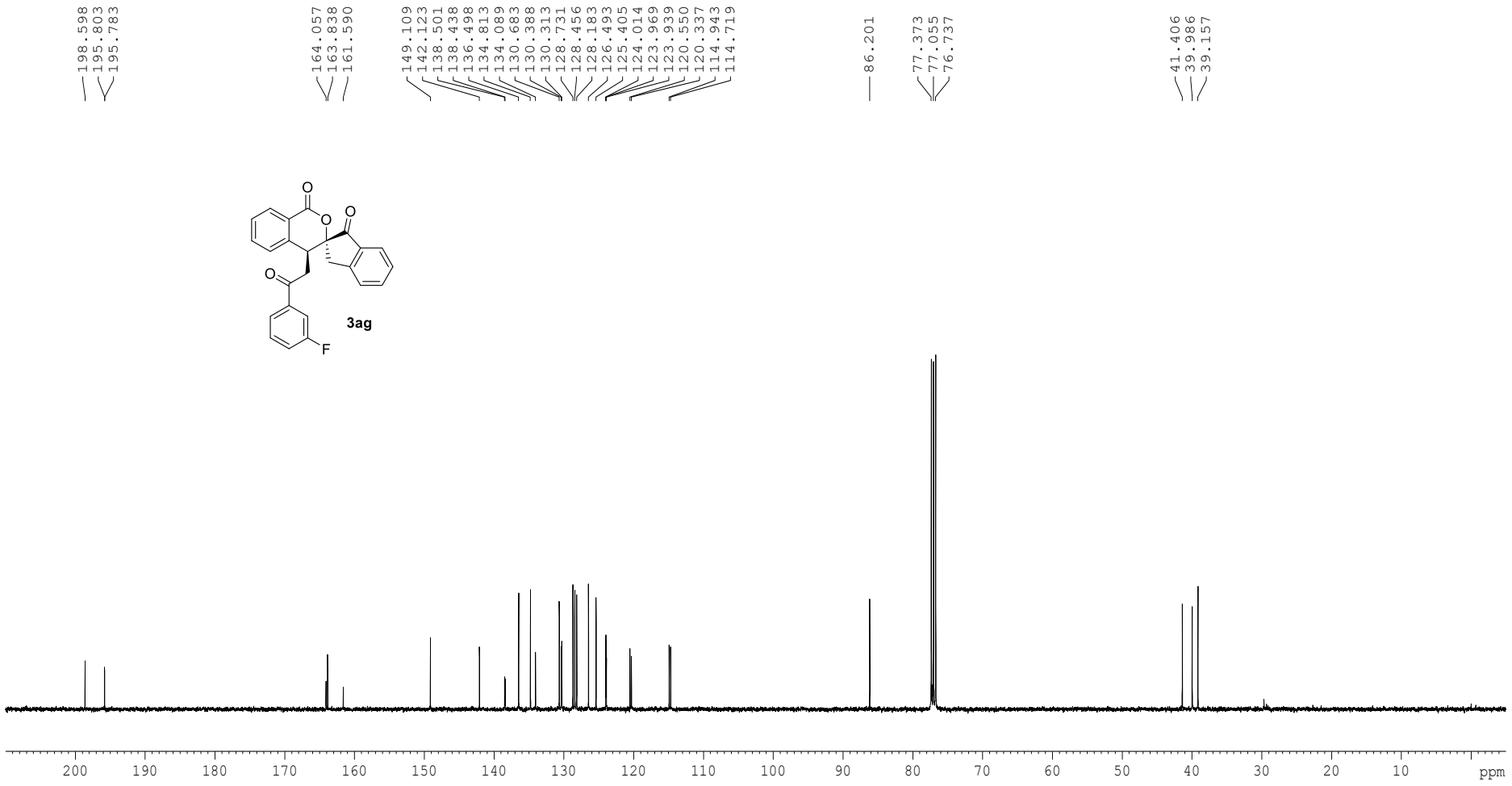
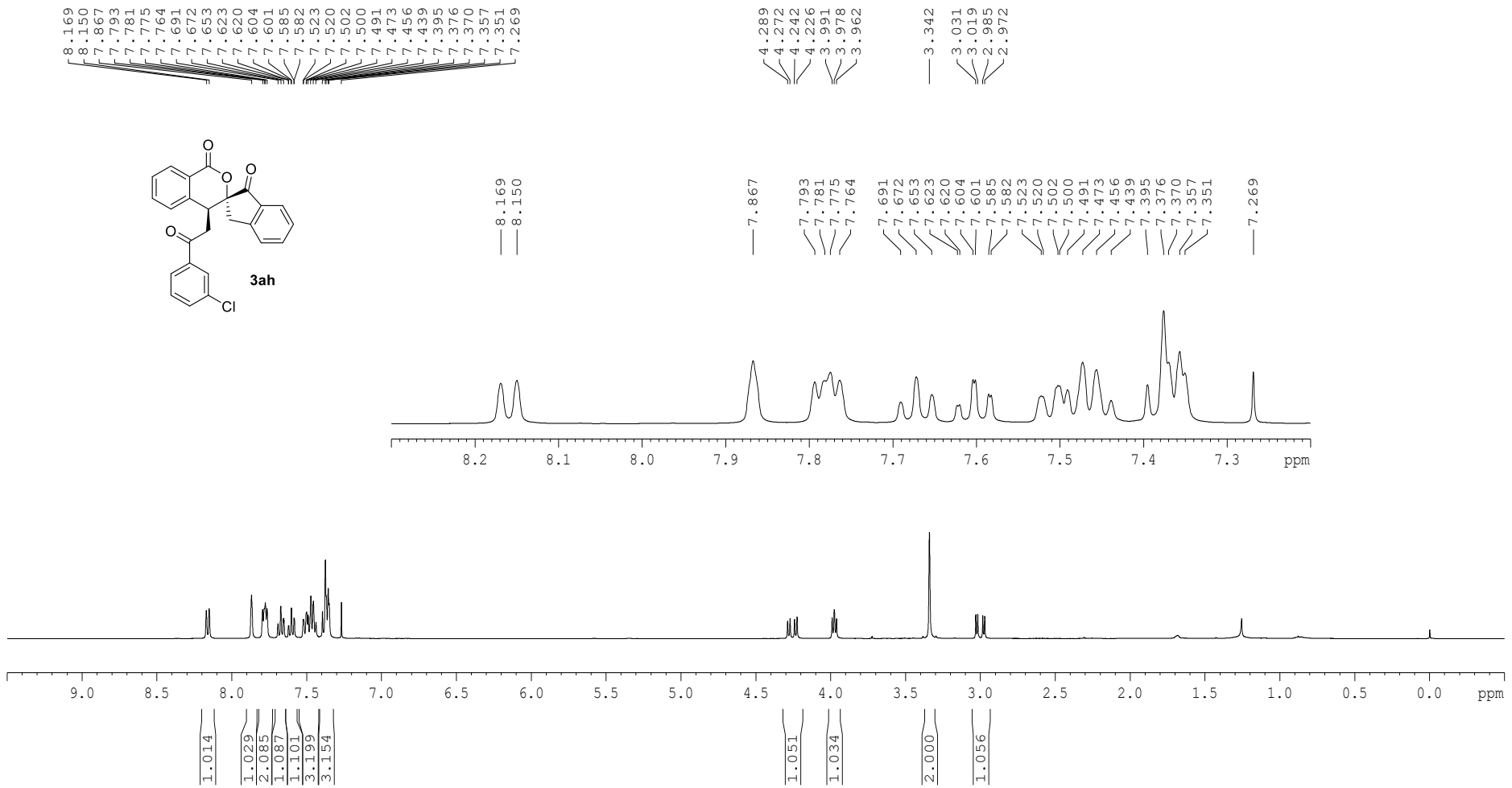


^{1}H NMR of product **3ag**



^{13}C NMR of product **3ag**



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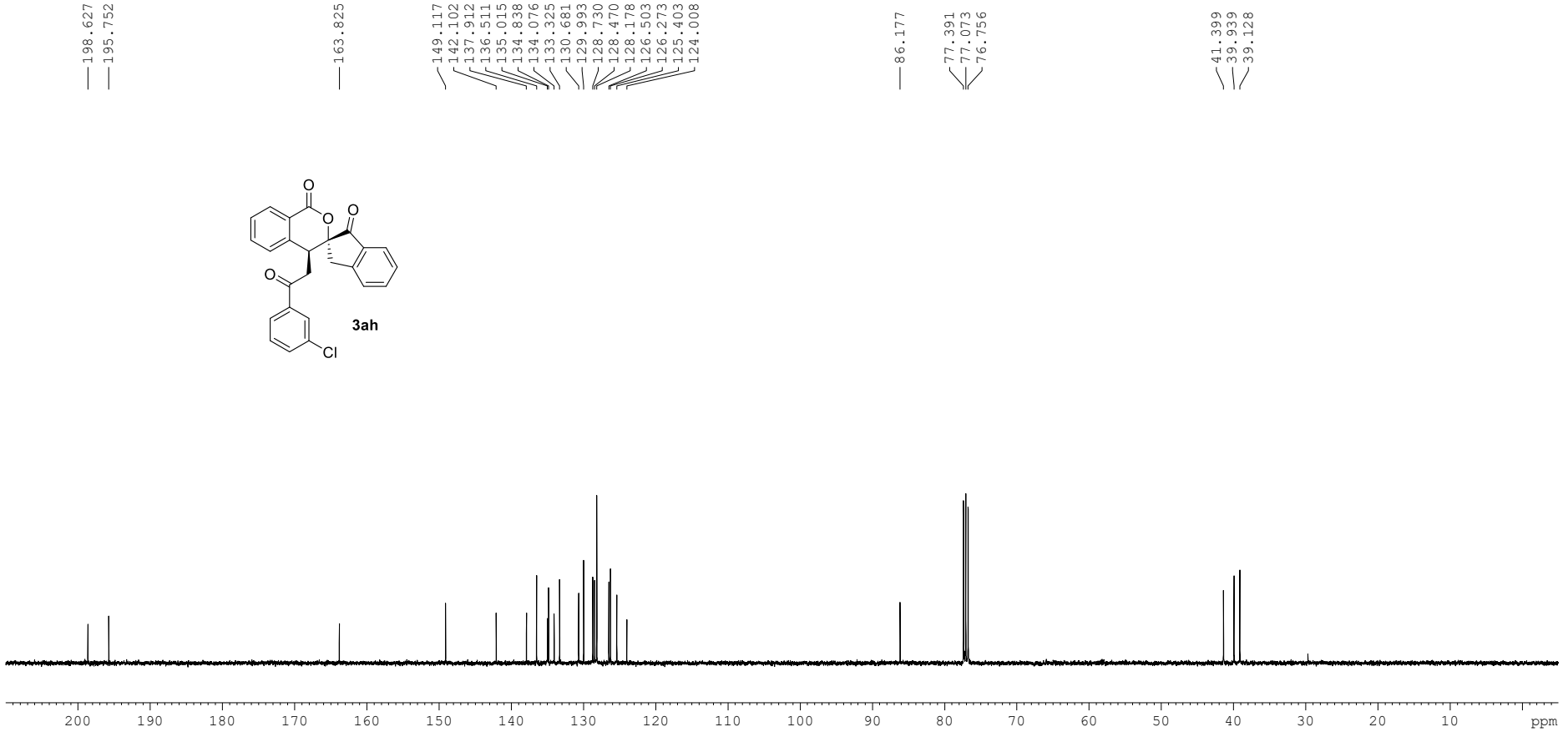

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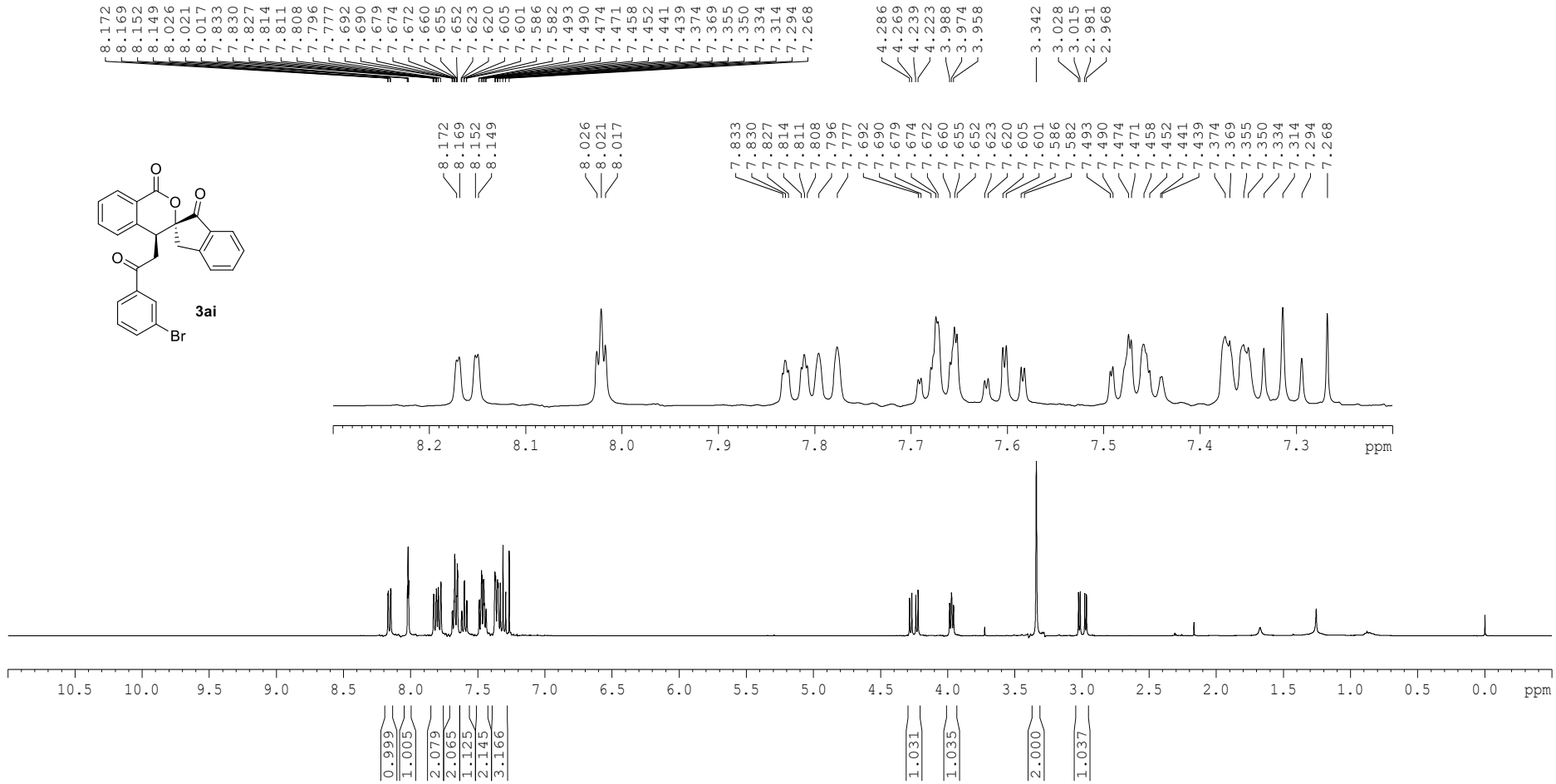

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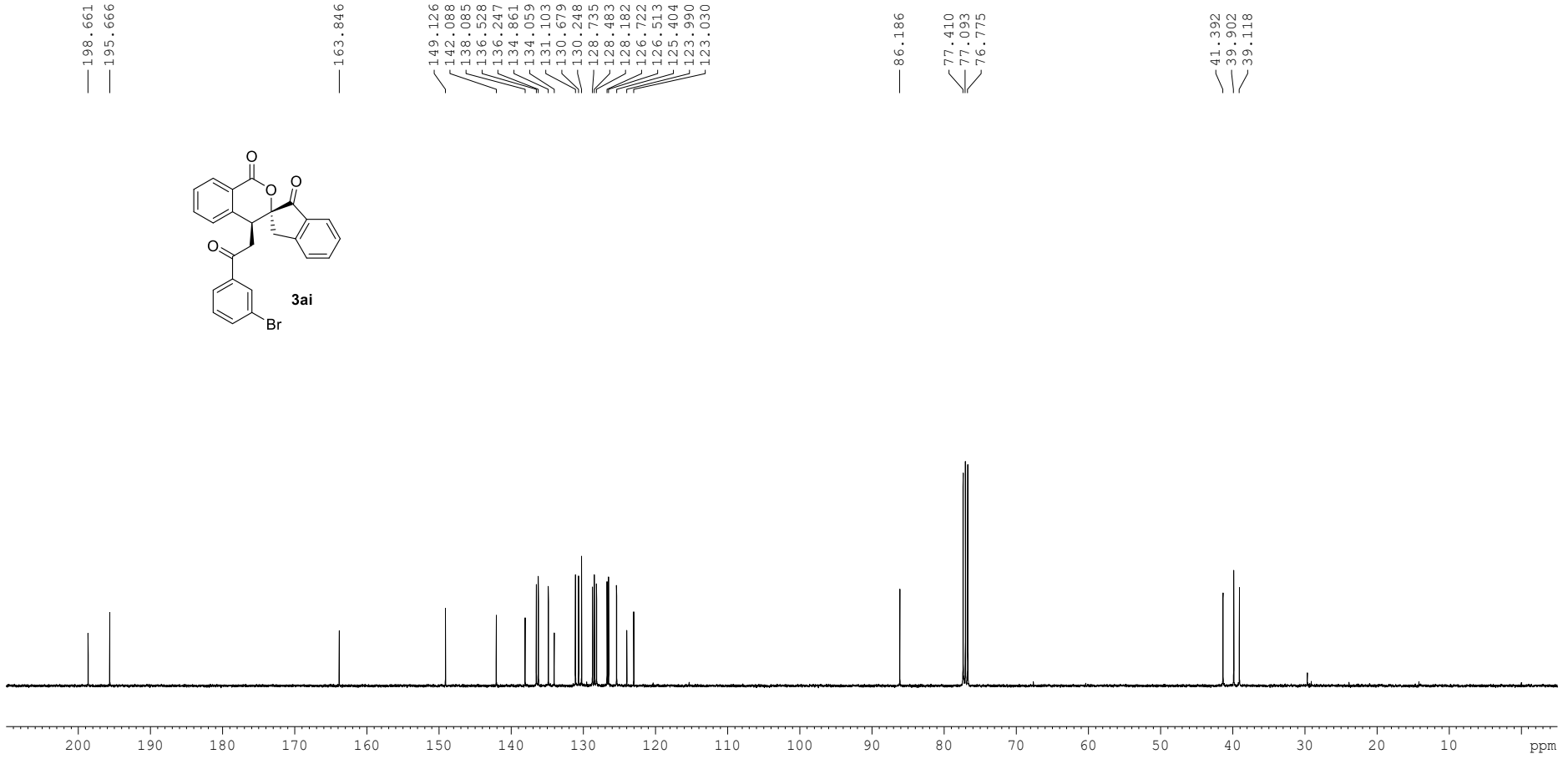

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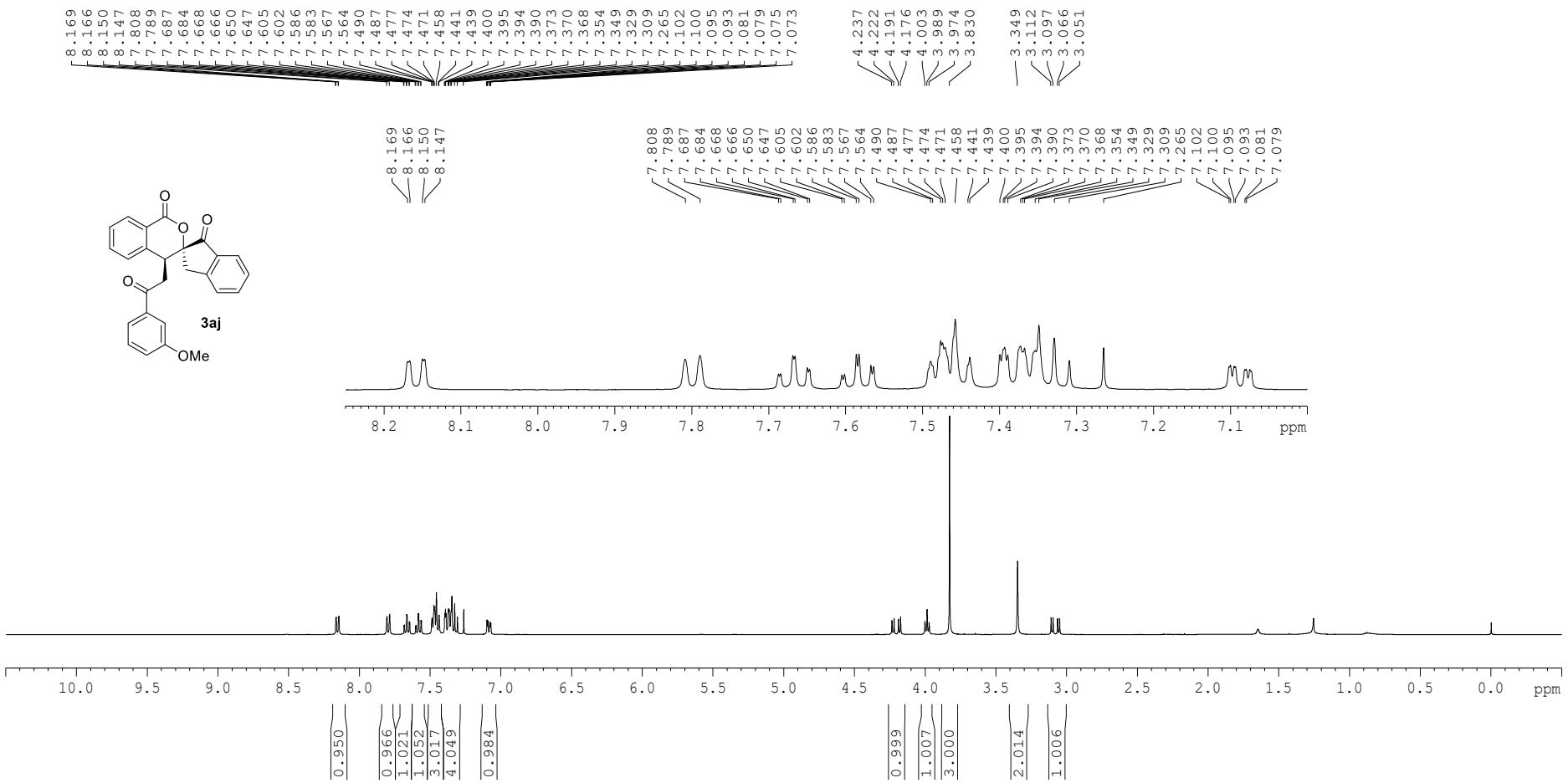

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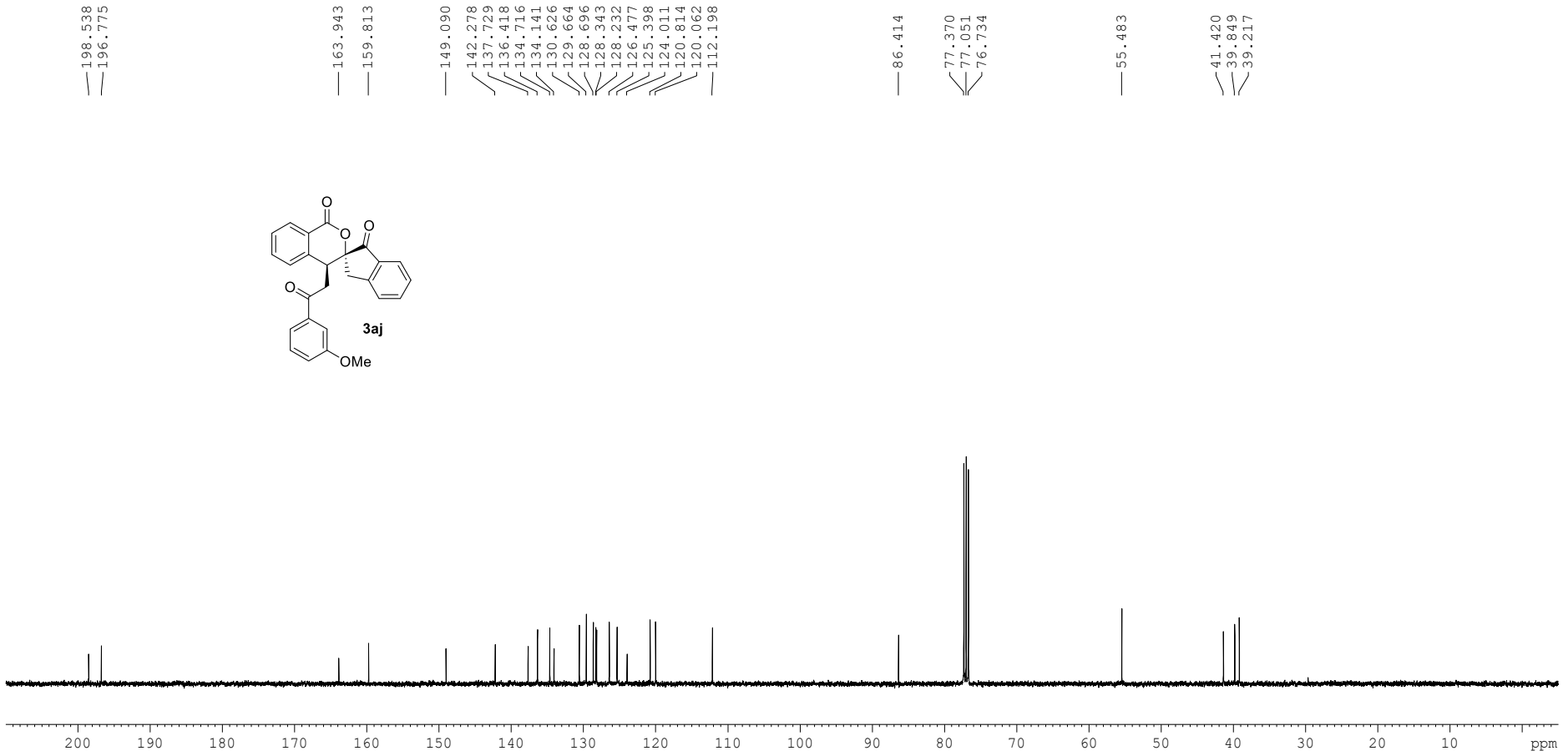

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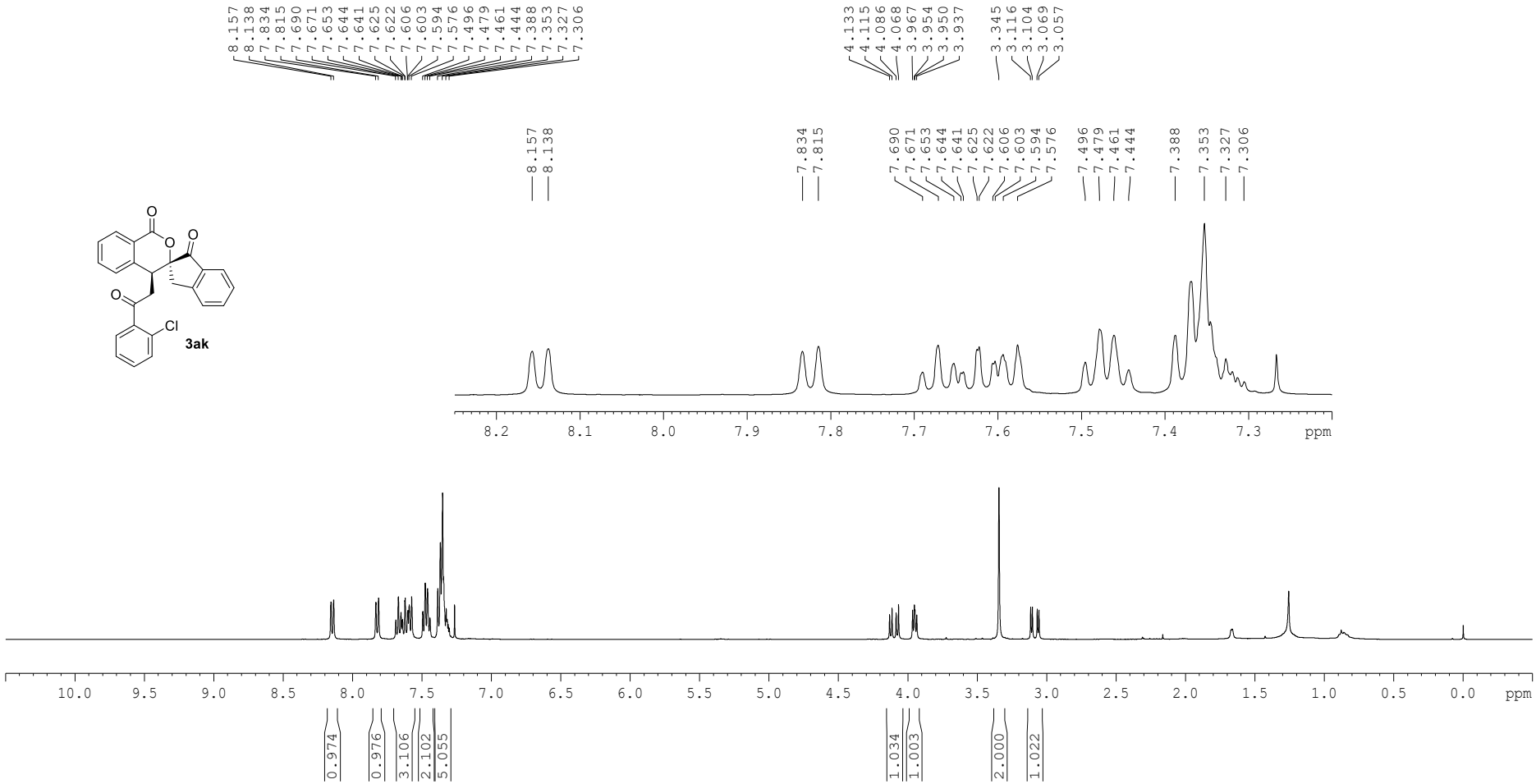

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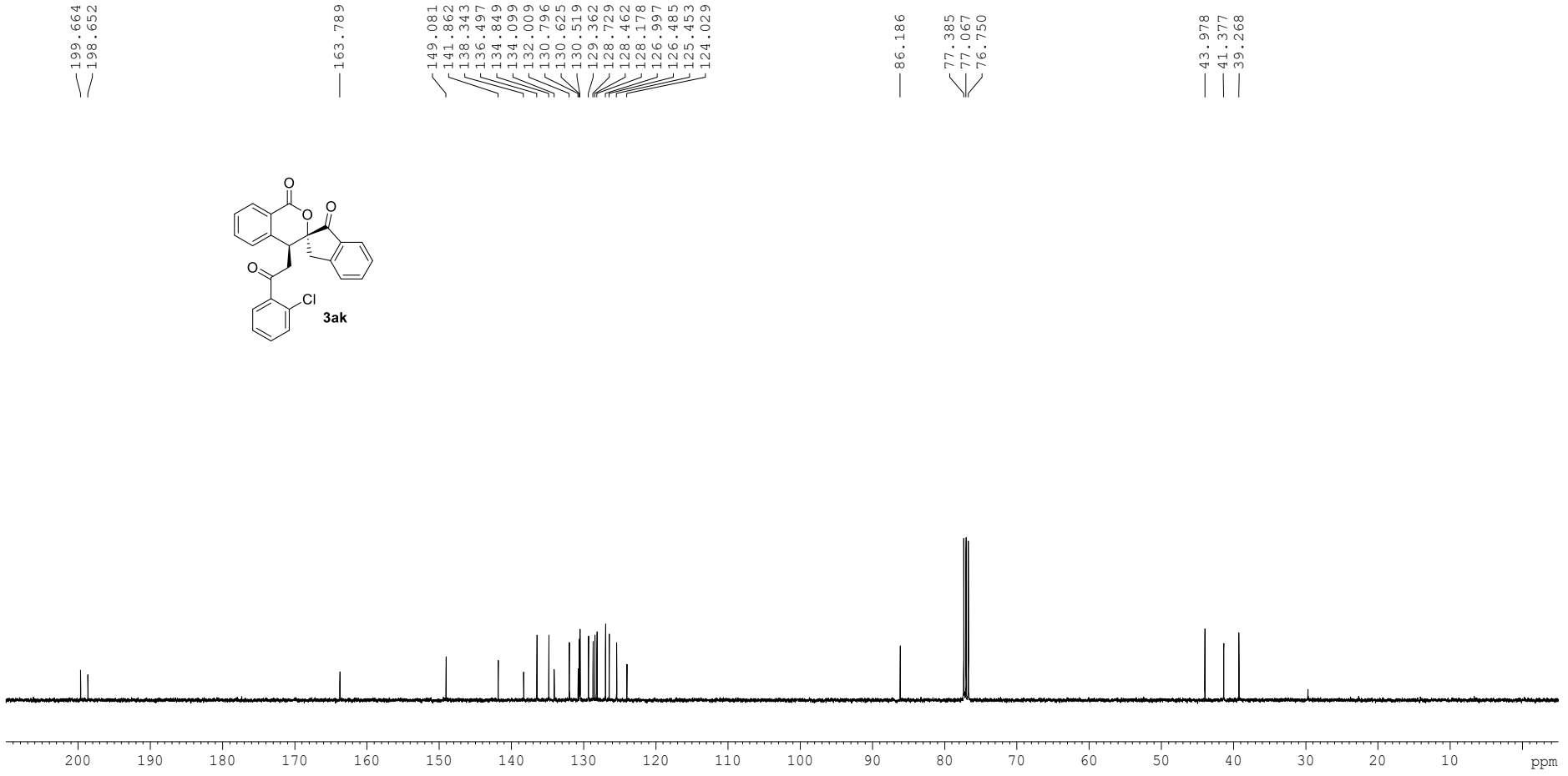

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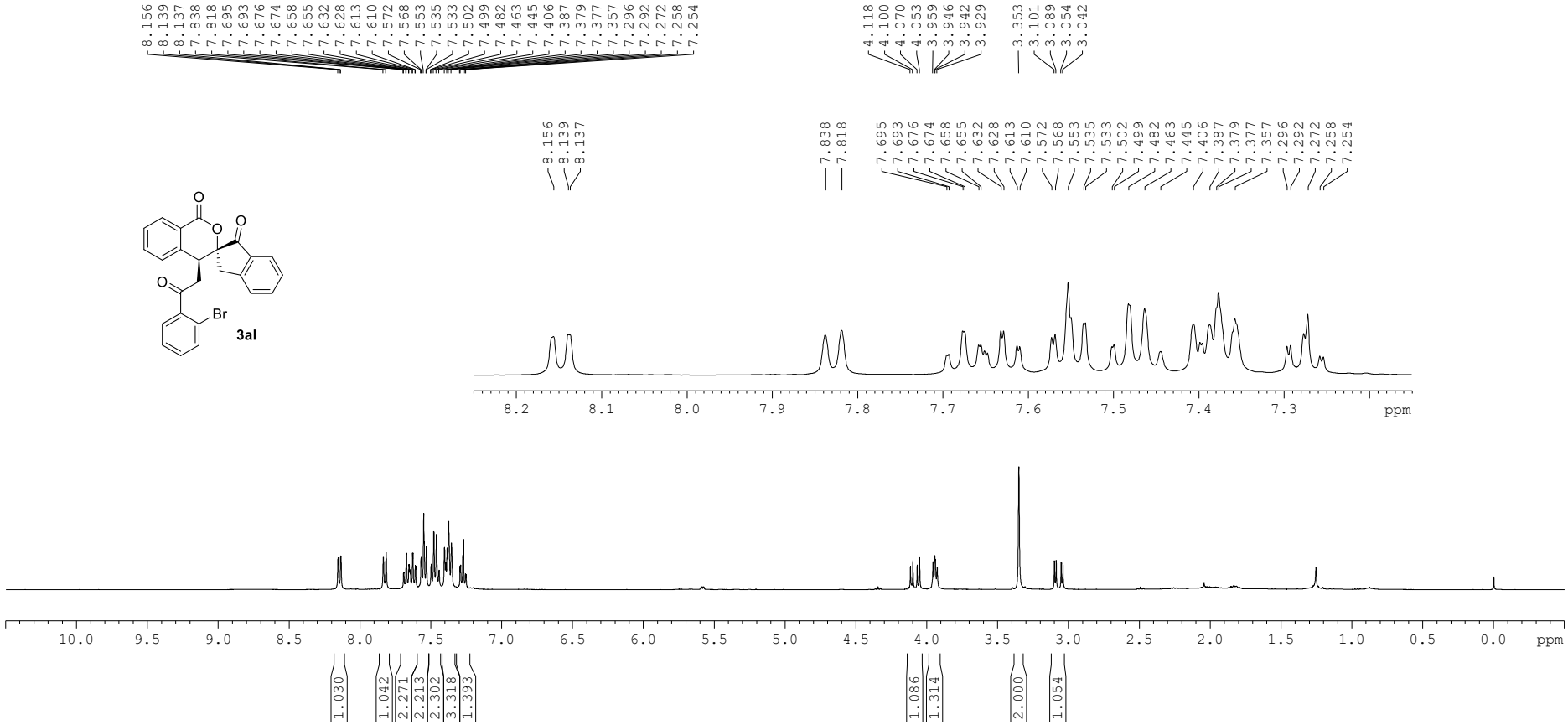
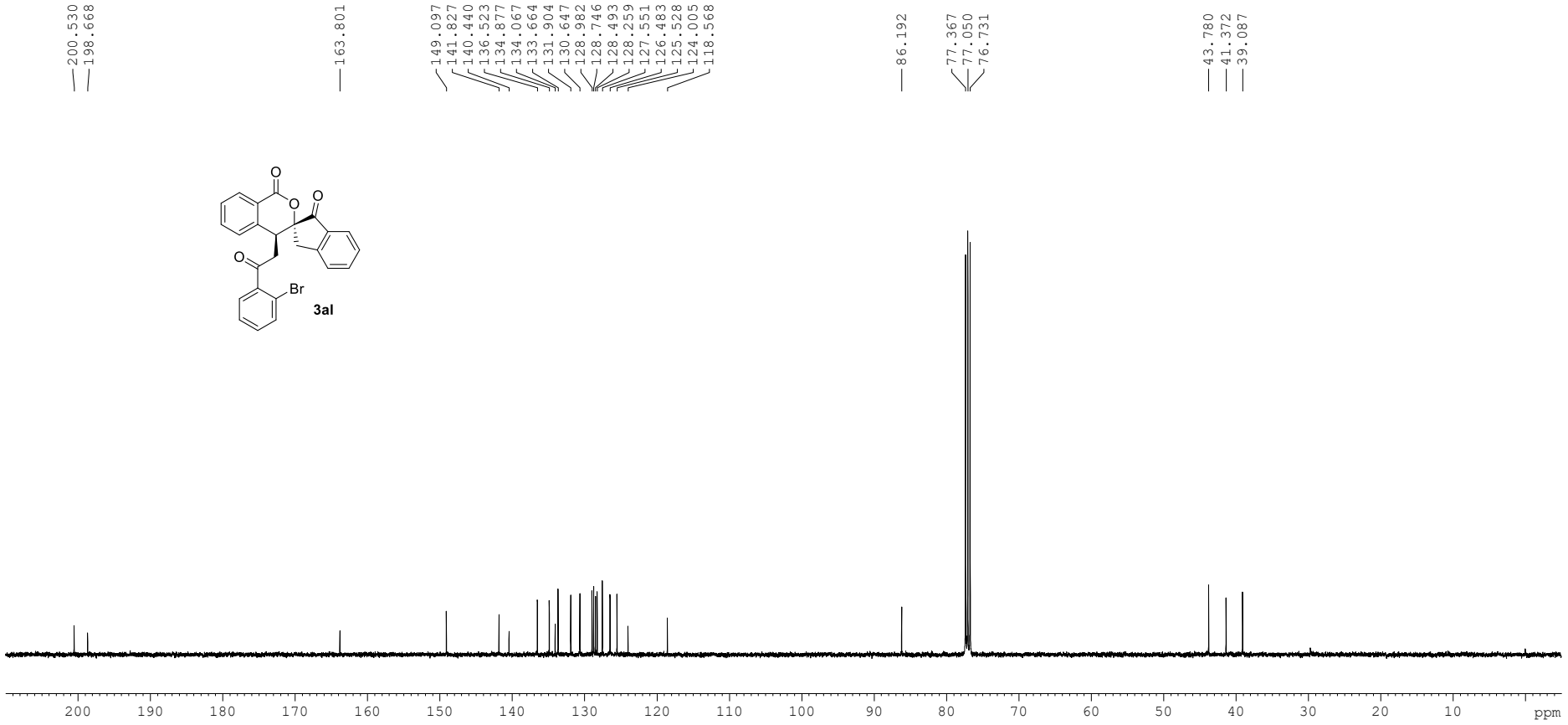
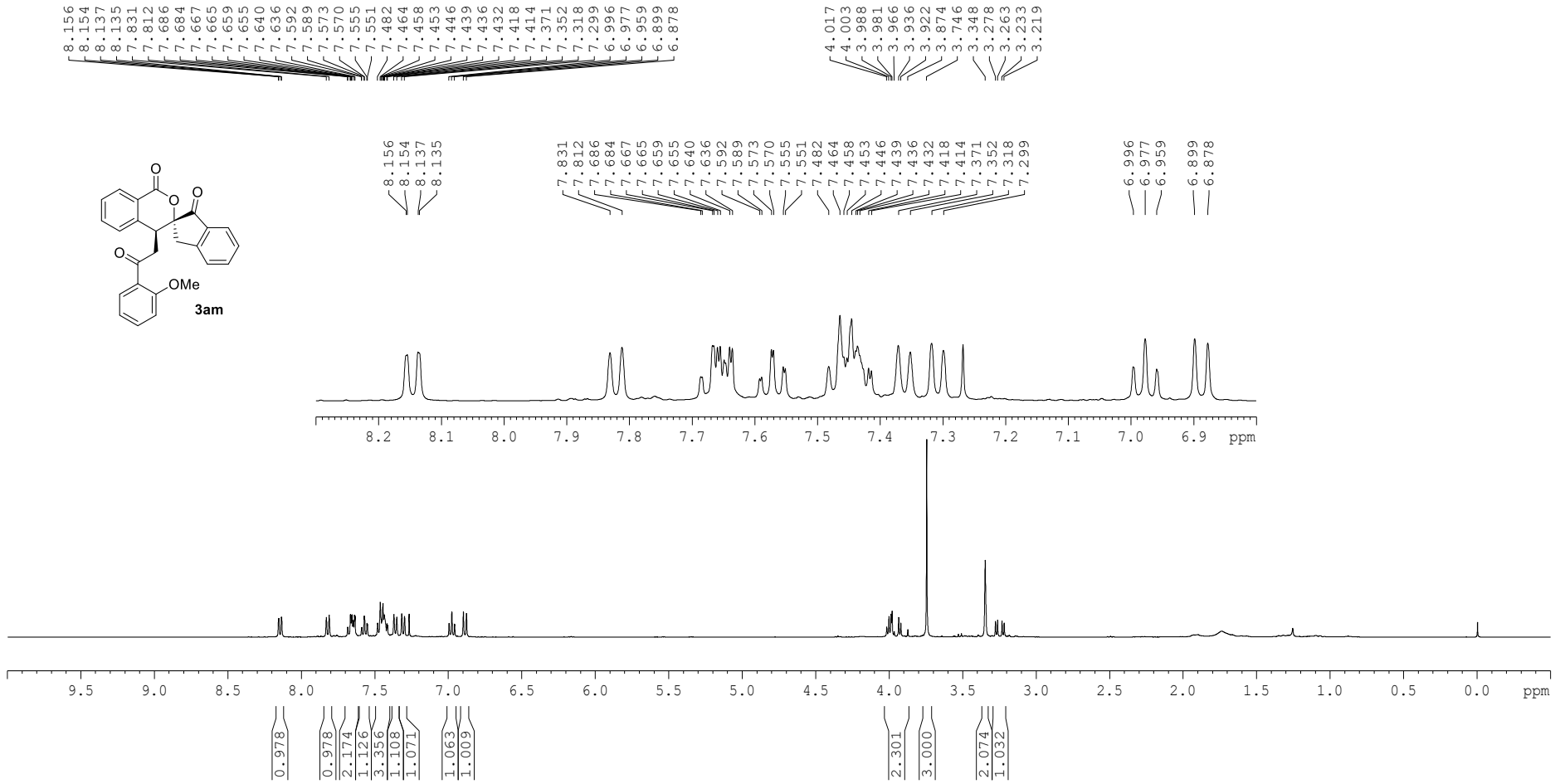


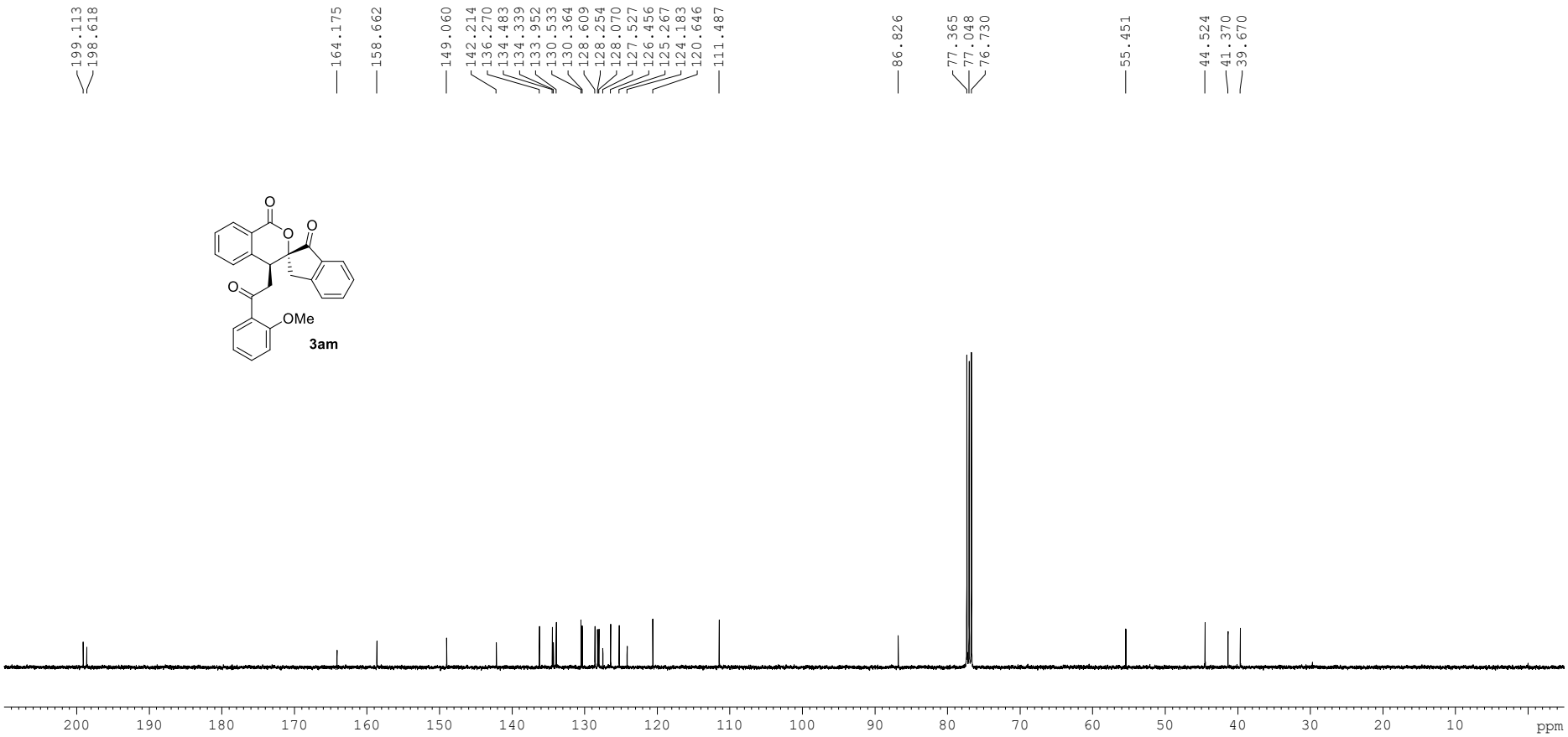
^{1}H NMR of product **3al**



^{13}C NMR of product **3al**



^{1}H NMR of product **3am**



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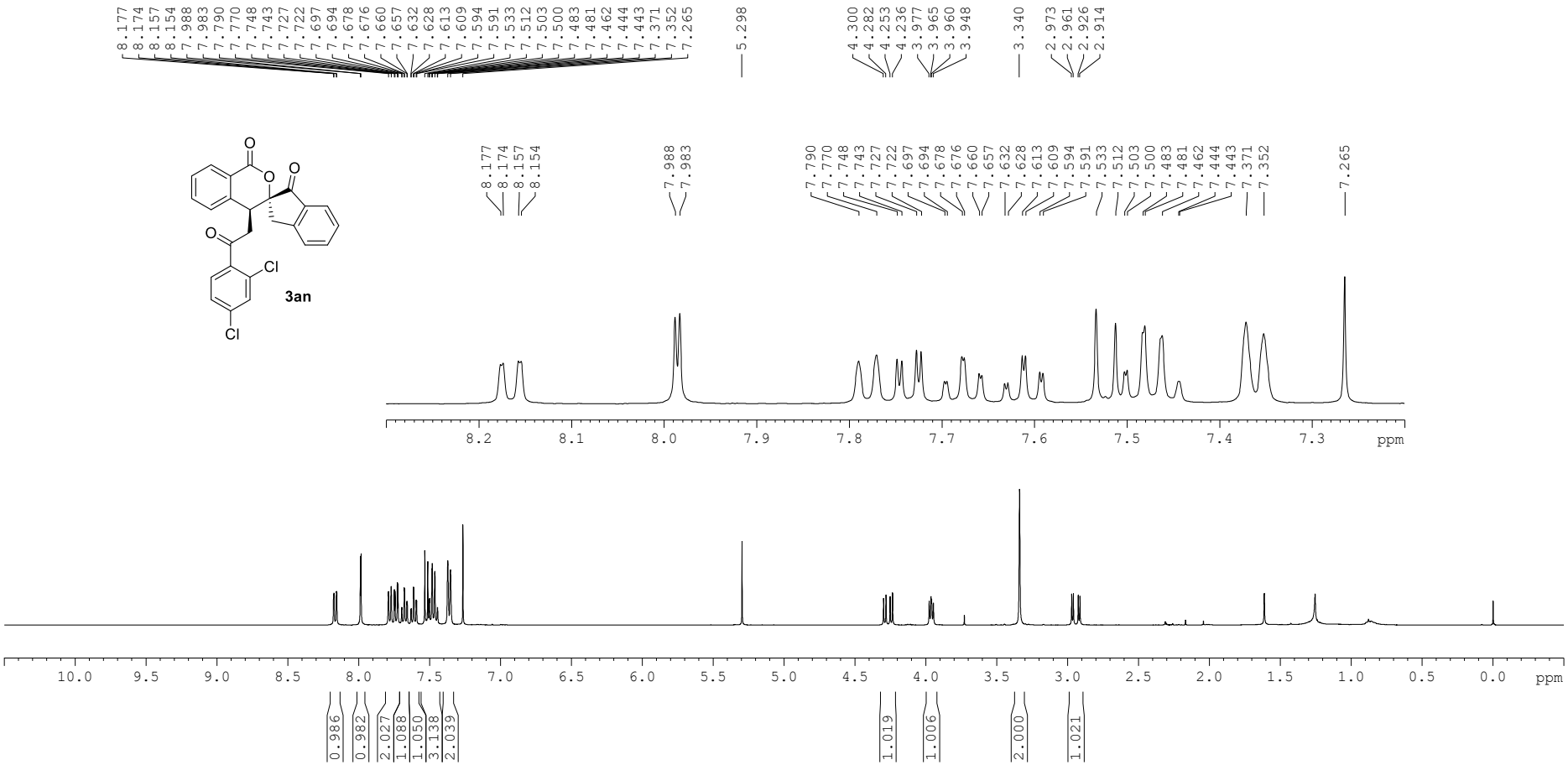
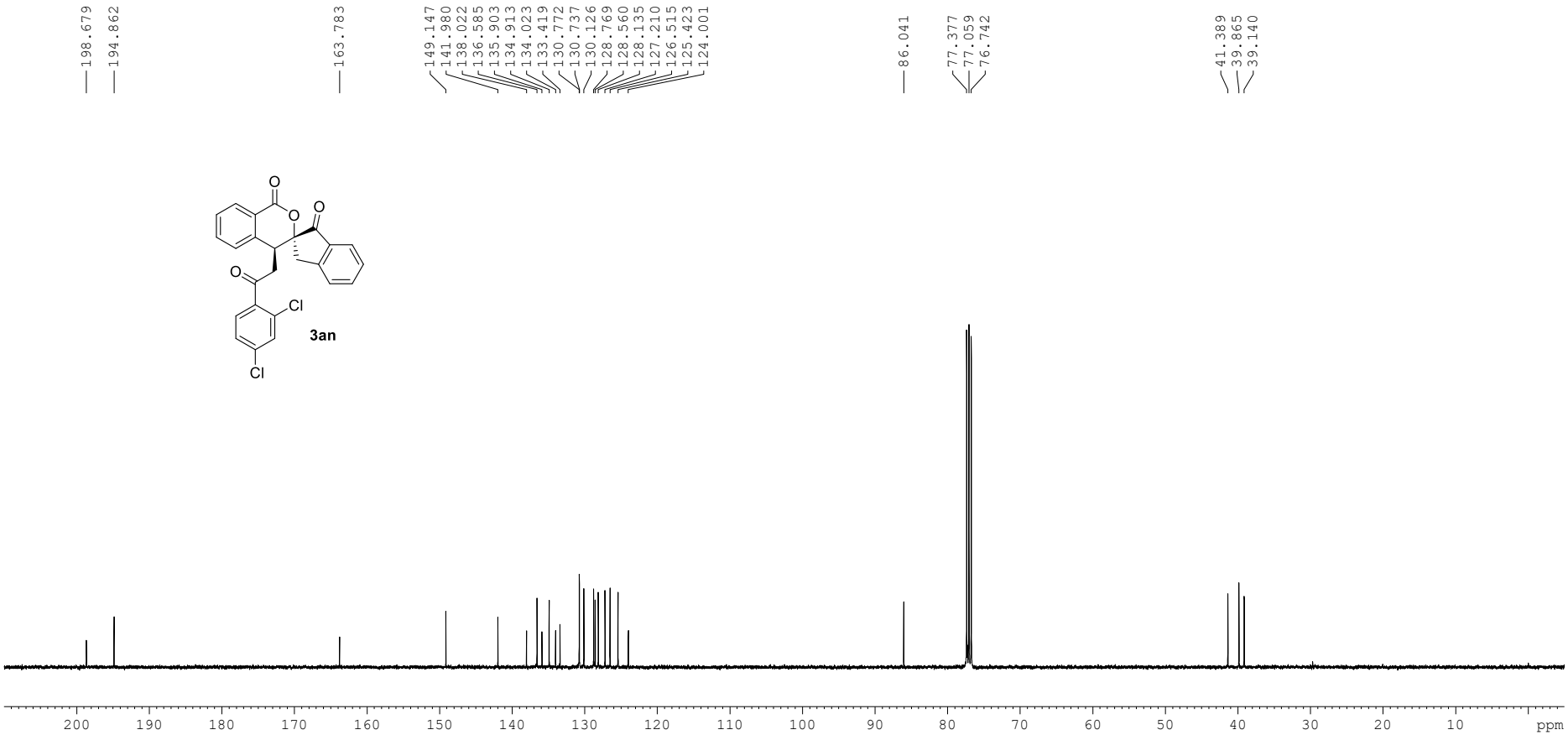
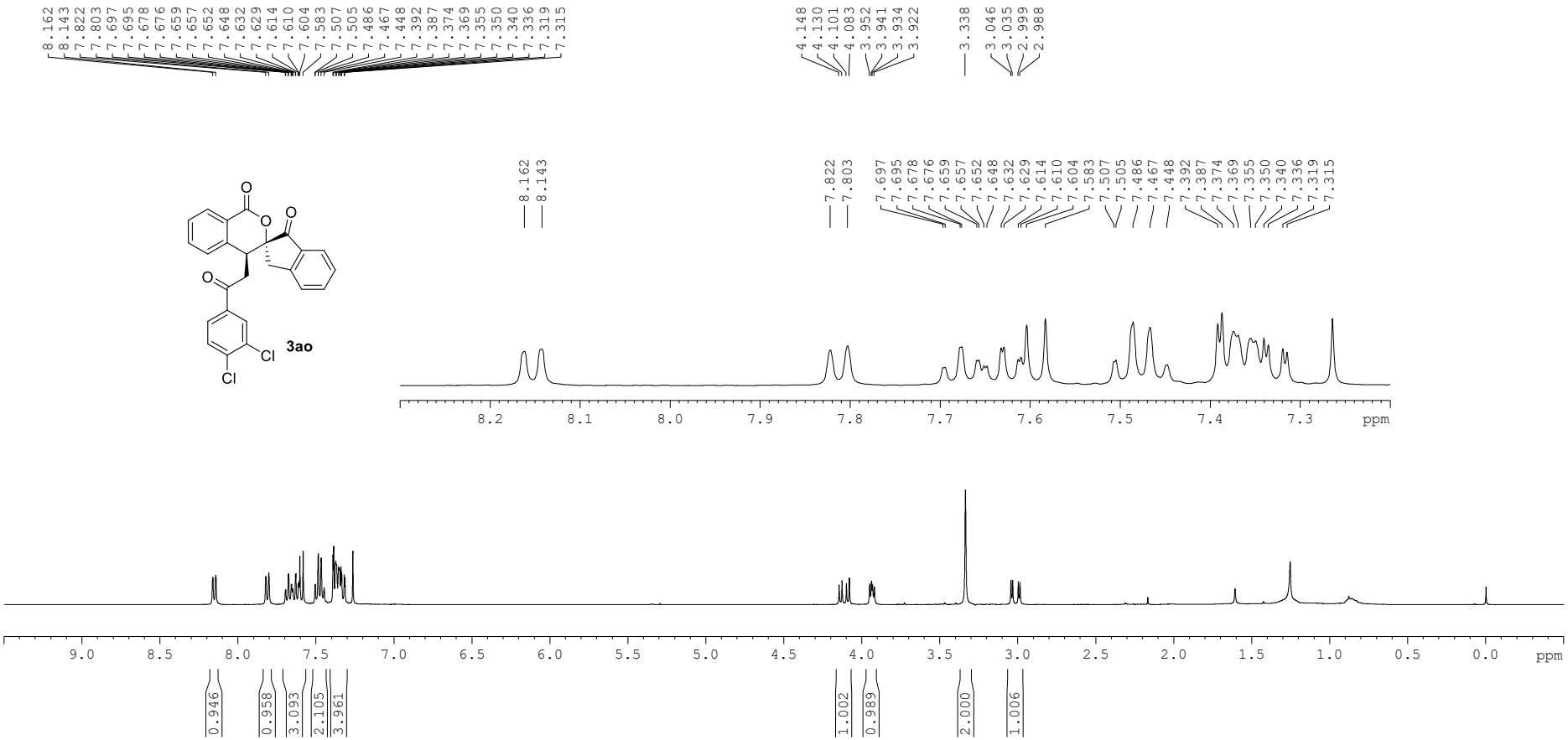


^{1}H NMR of product **3an**



^{13}C NMR of product **3an**



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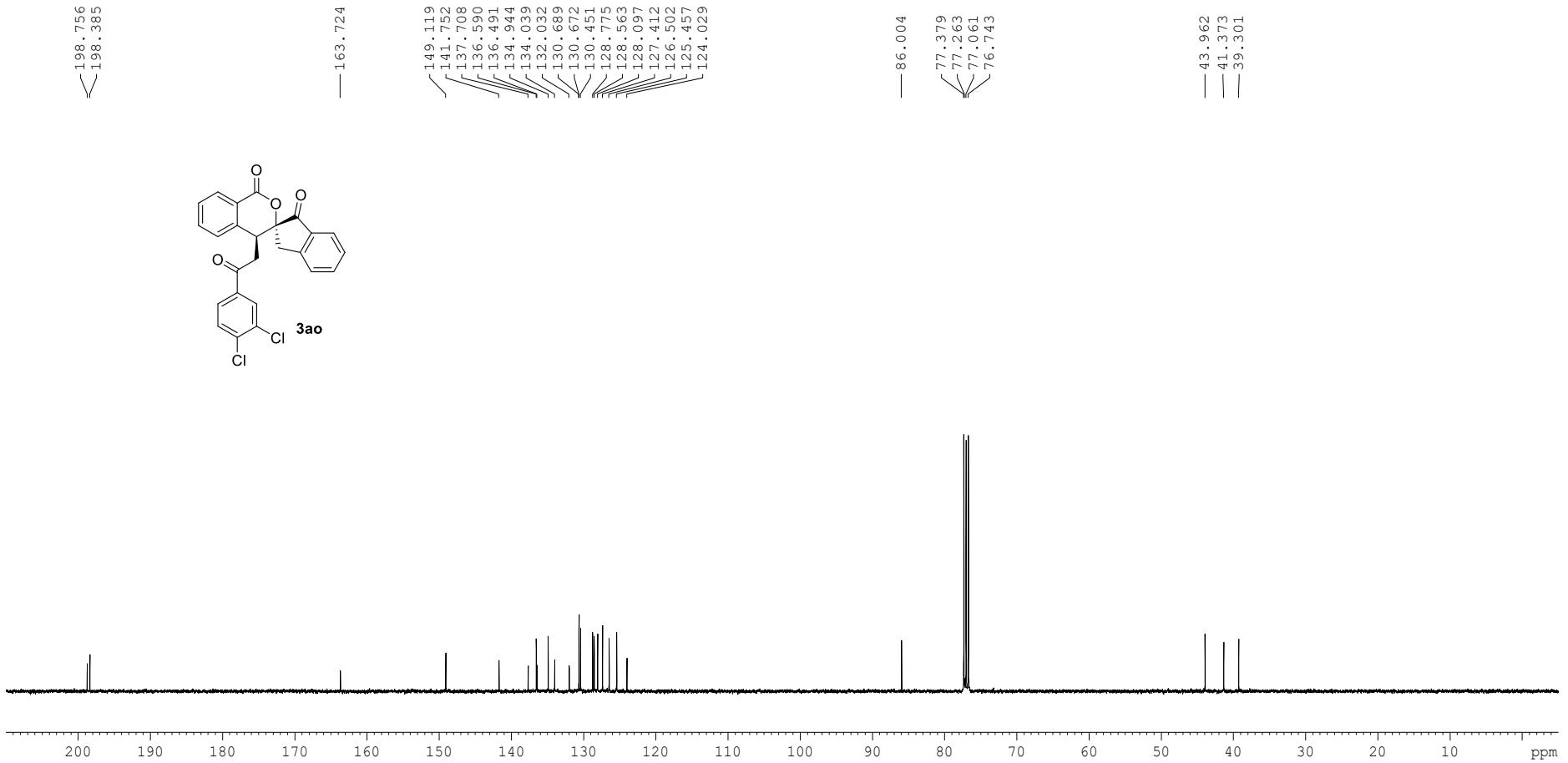
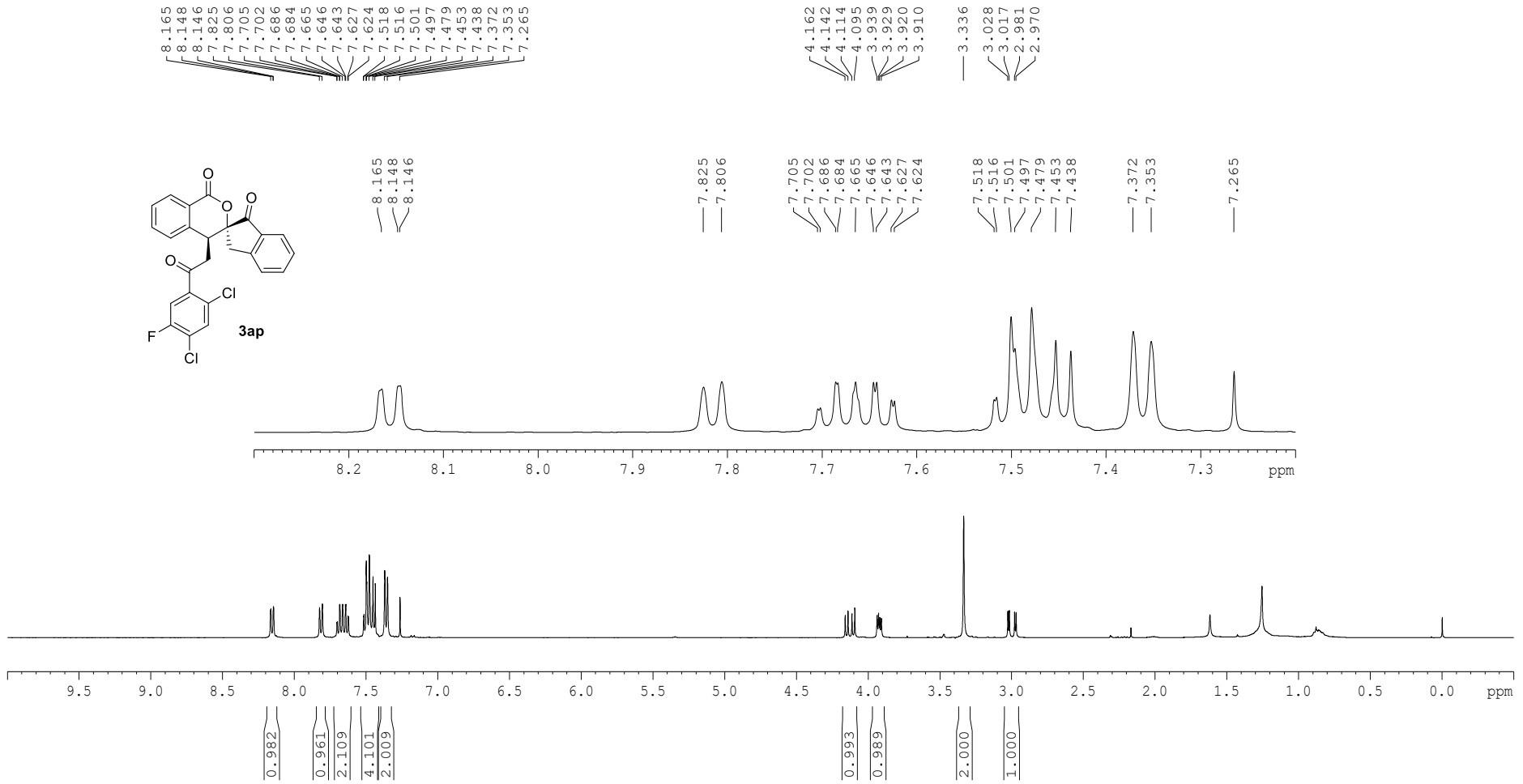
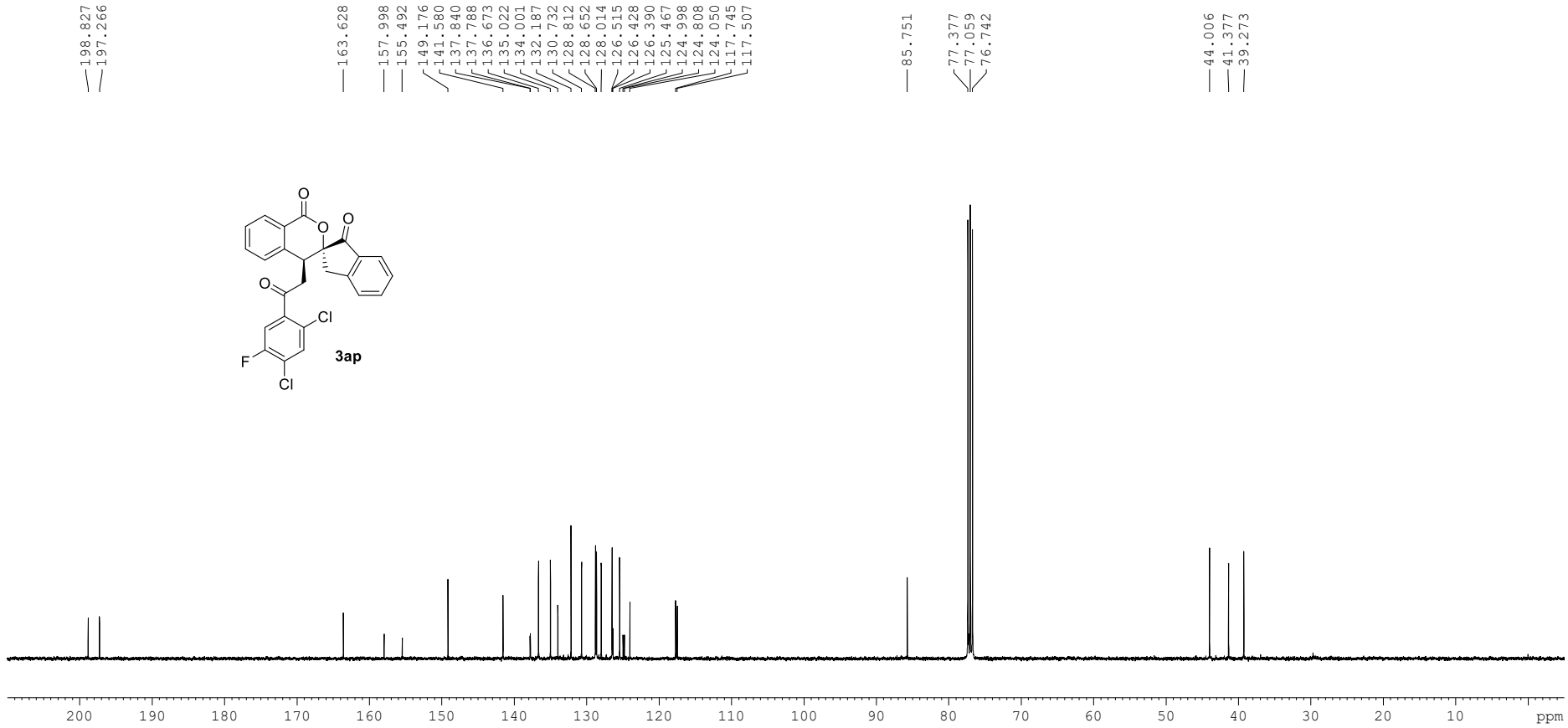


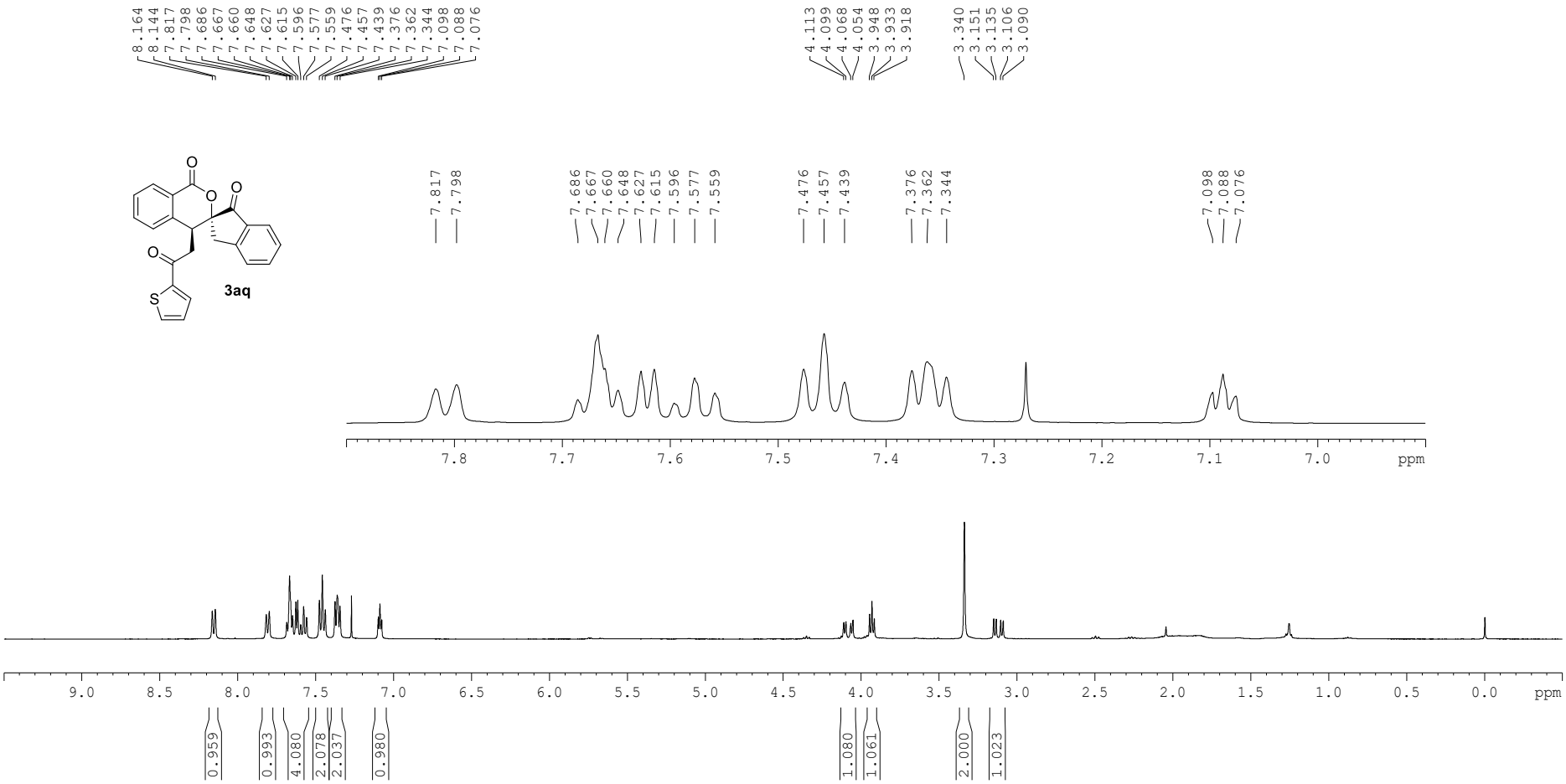
^{13}C NMR of product **3ao**



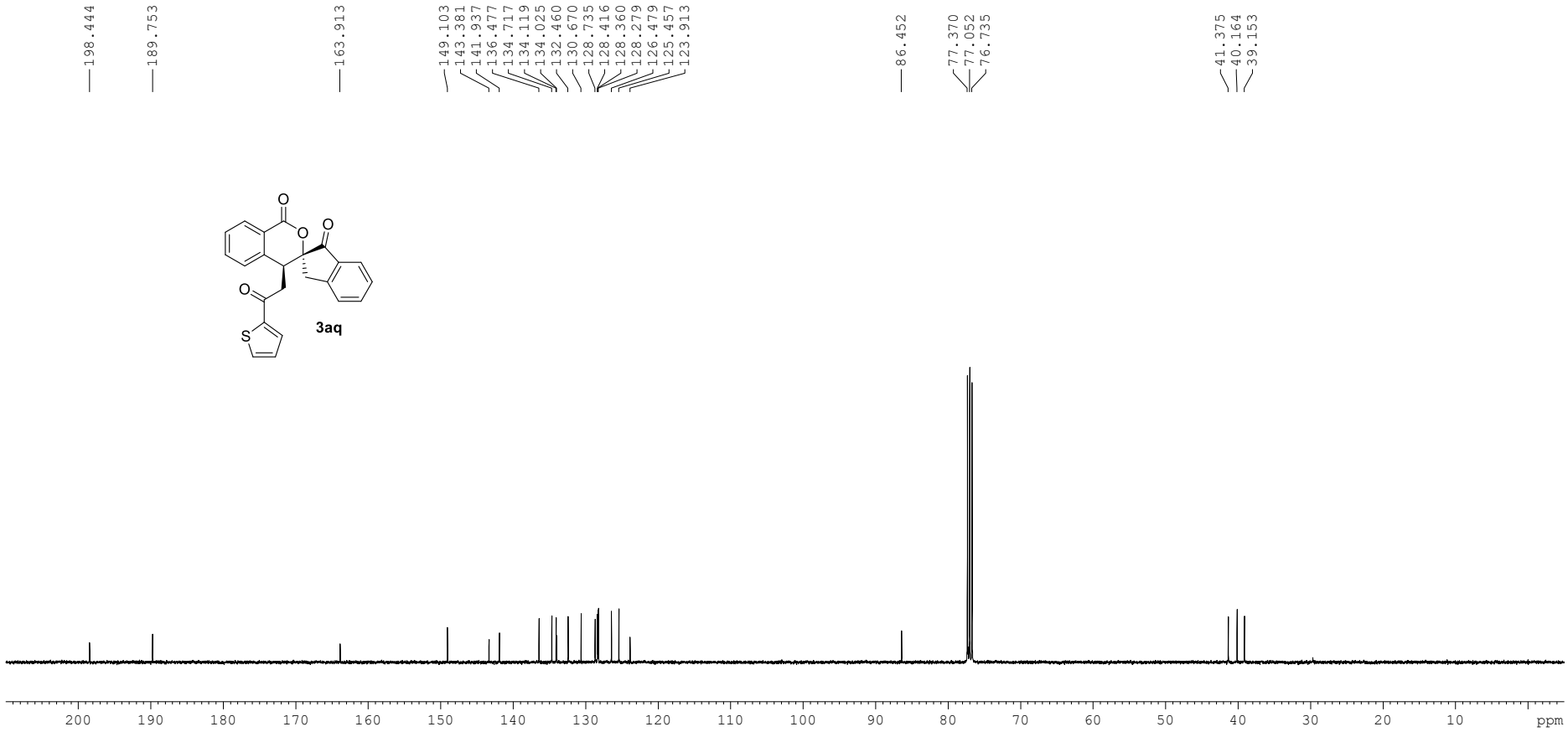
^{1}H NMR of product **3ap**



^{13}C NMR of product **3ap**



^{1}H NMR of product **3aq**



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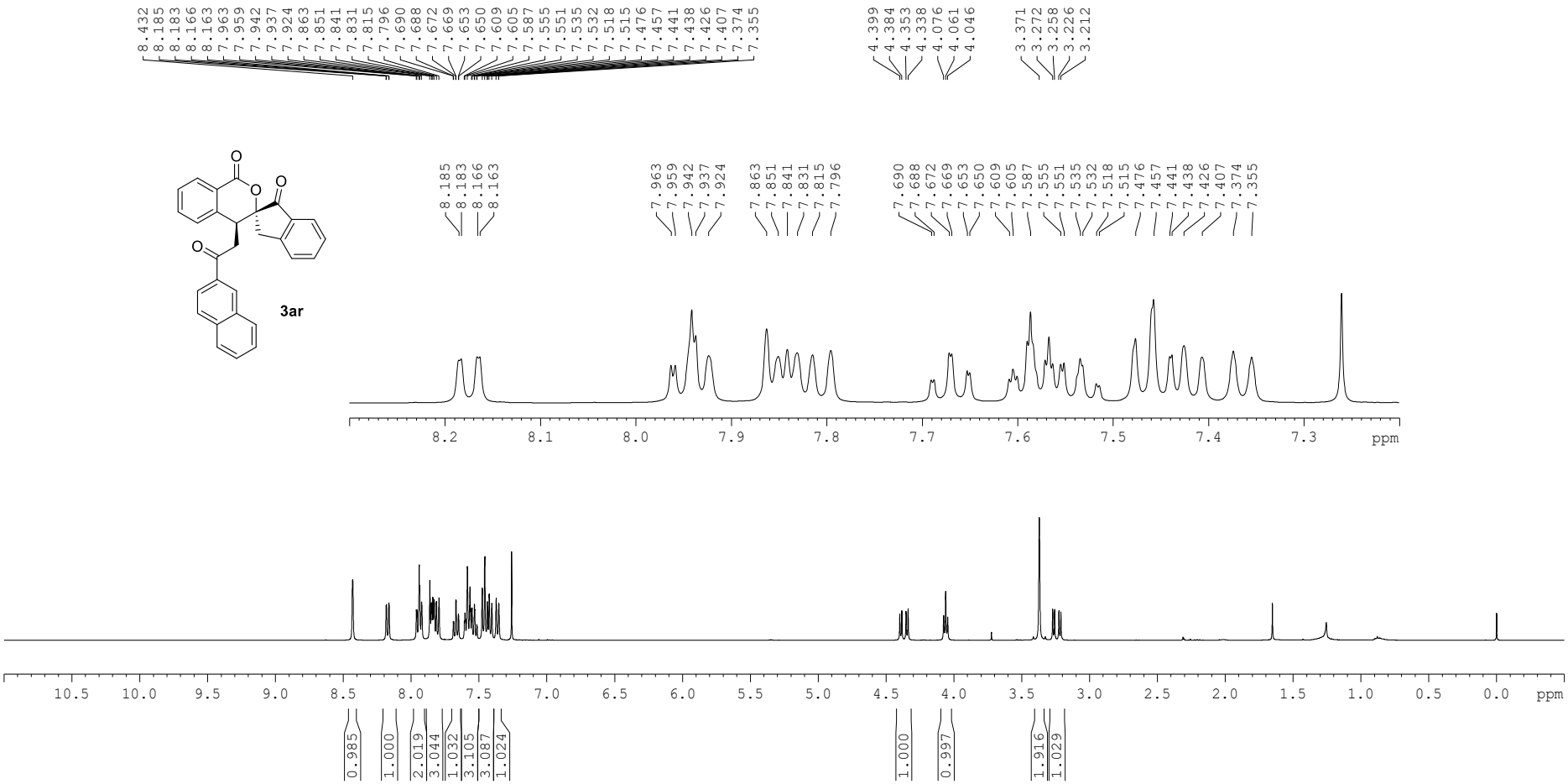
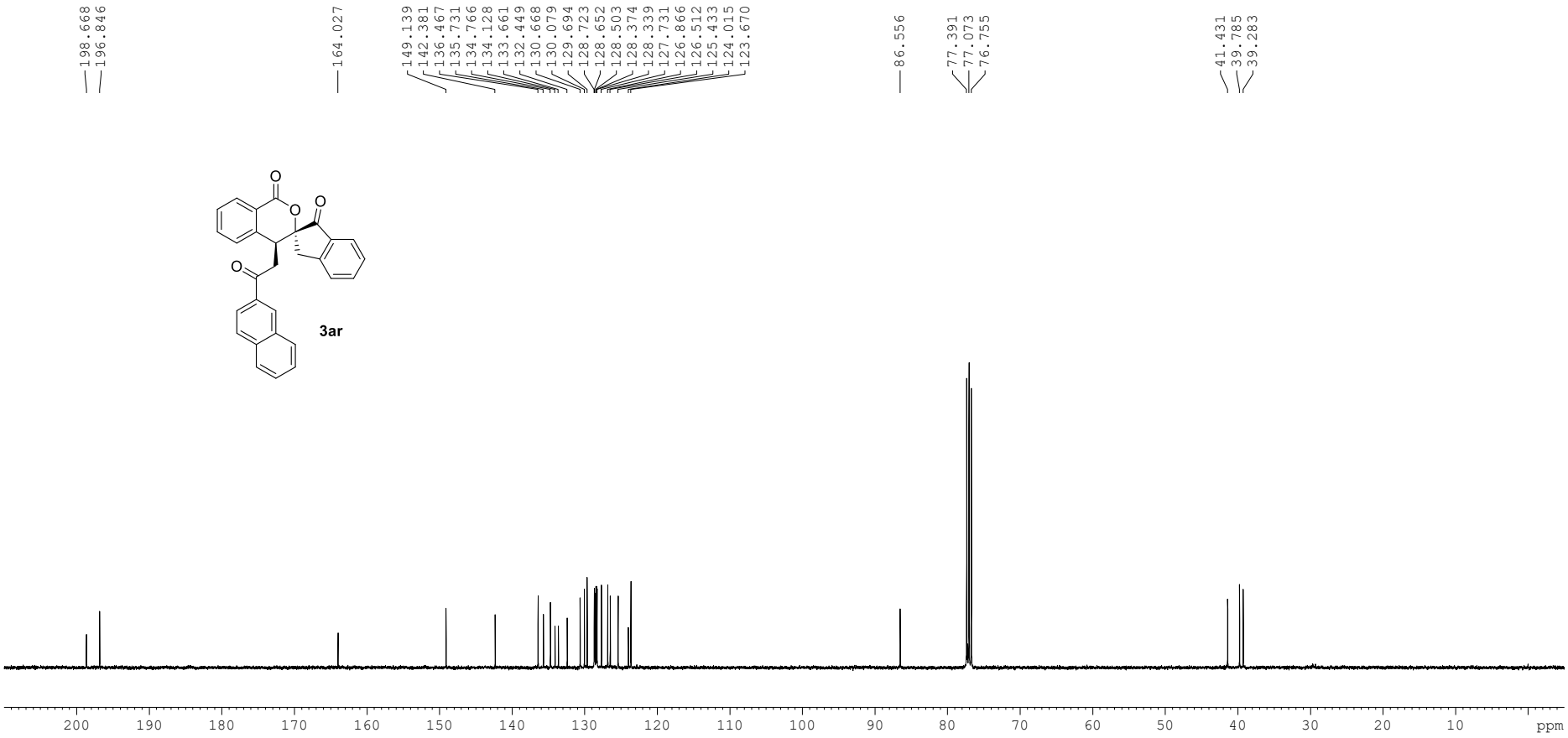
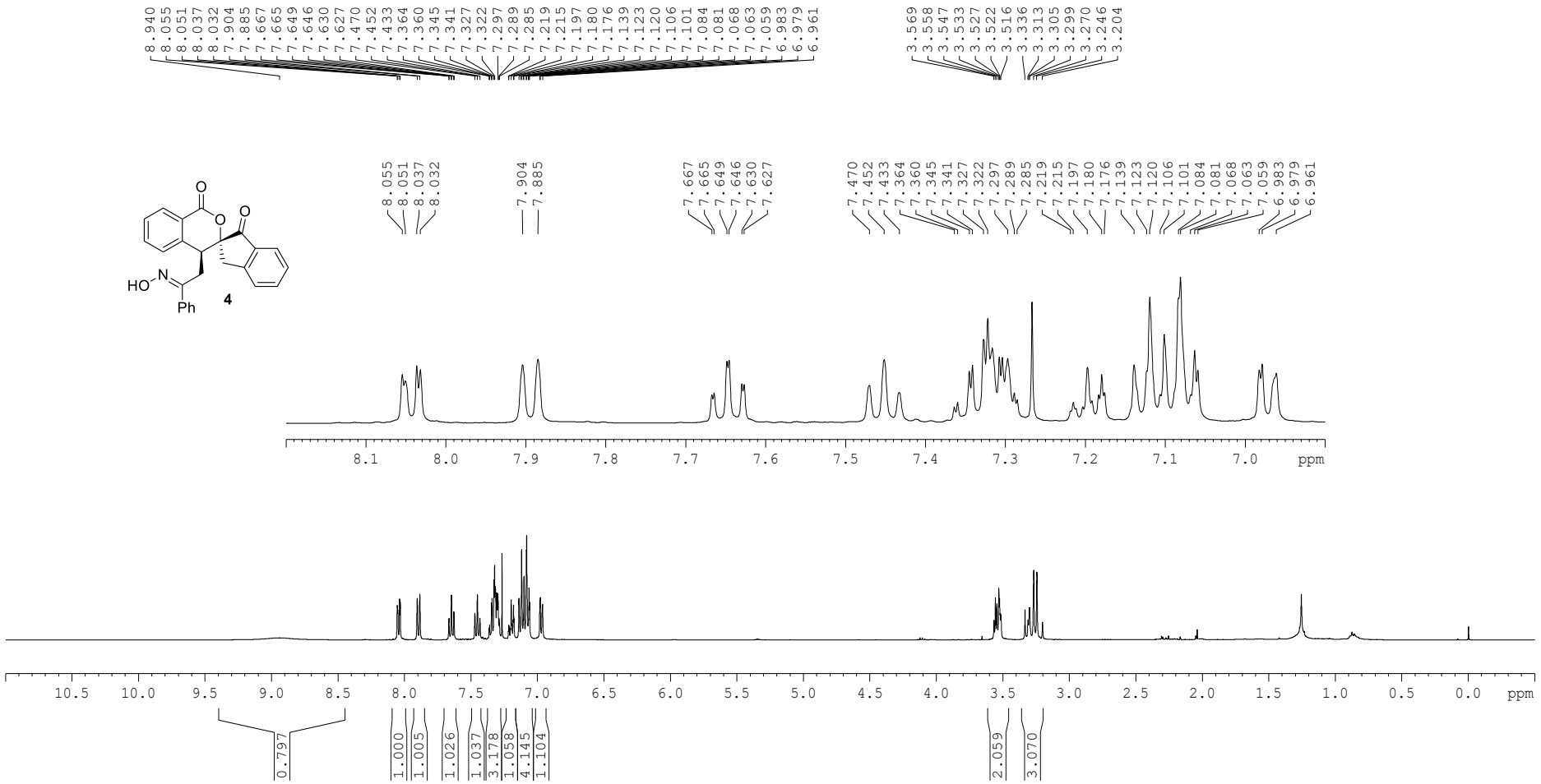


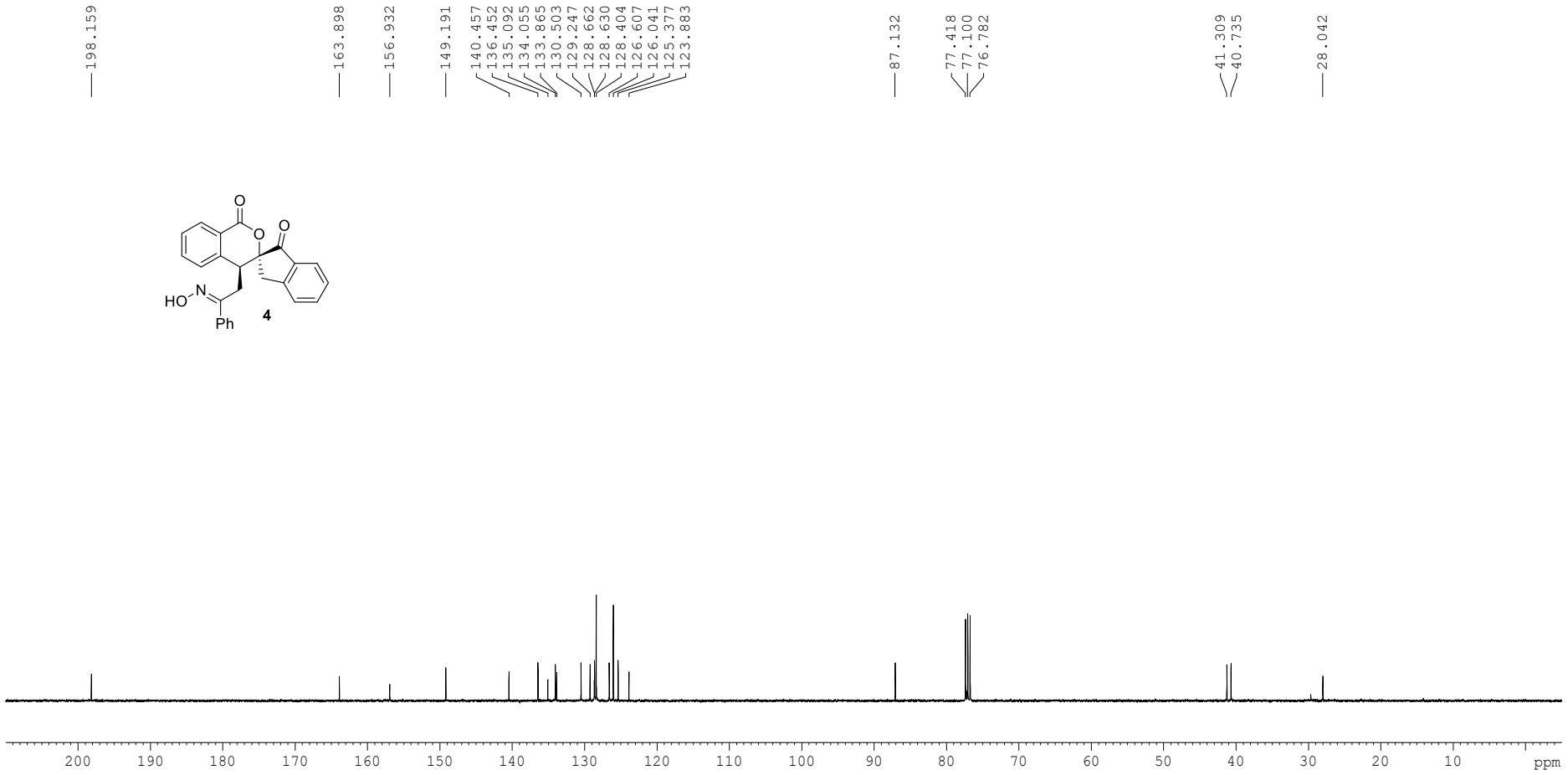
^{1}H NMR of product **3ar**



^{13}C NMR of product **3ar**

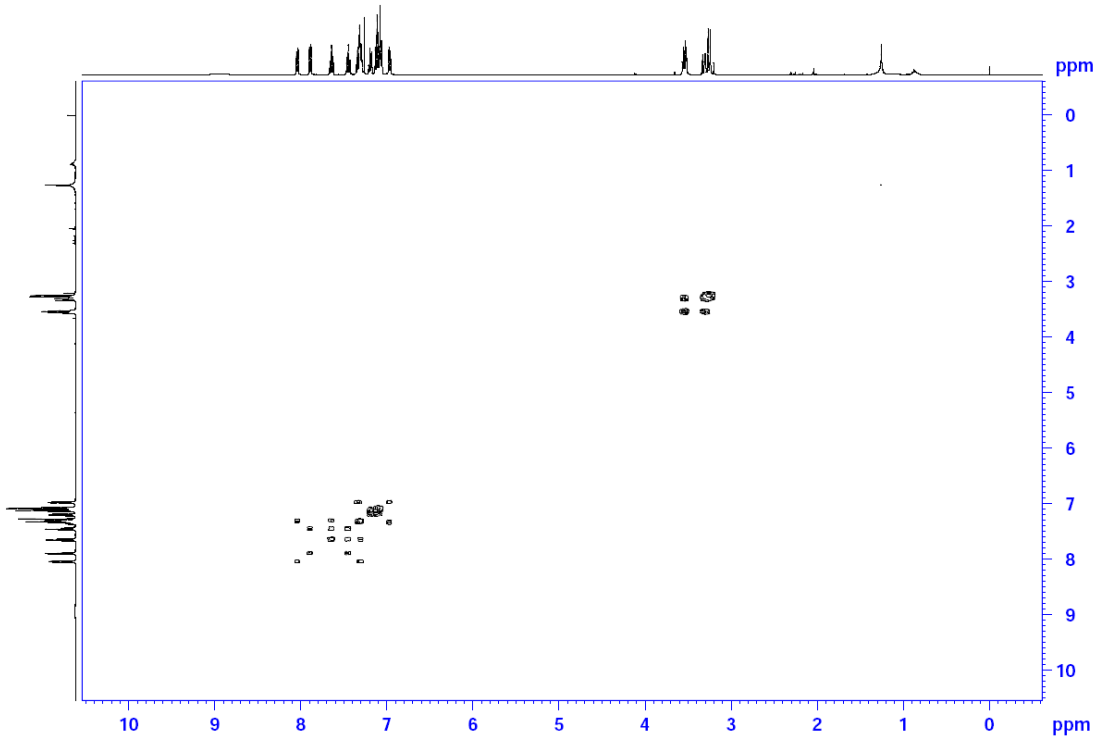


^{1}H NMR of product **4**

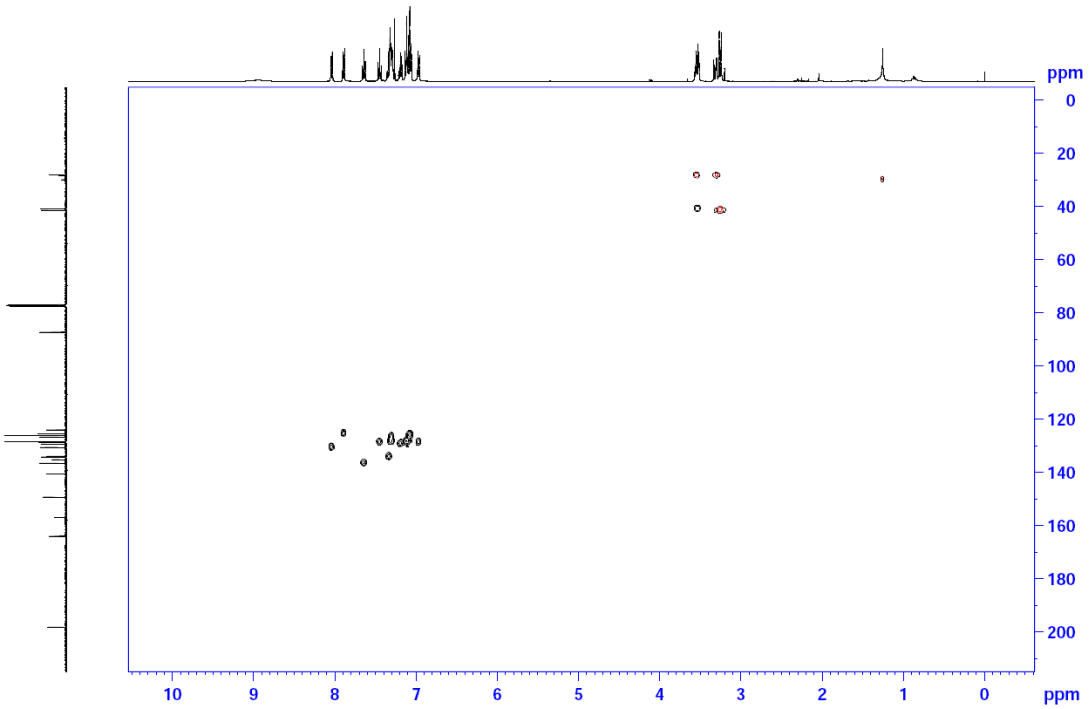


^{13}C NMR of product **4**

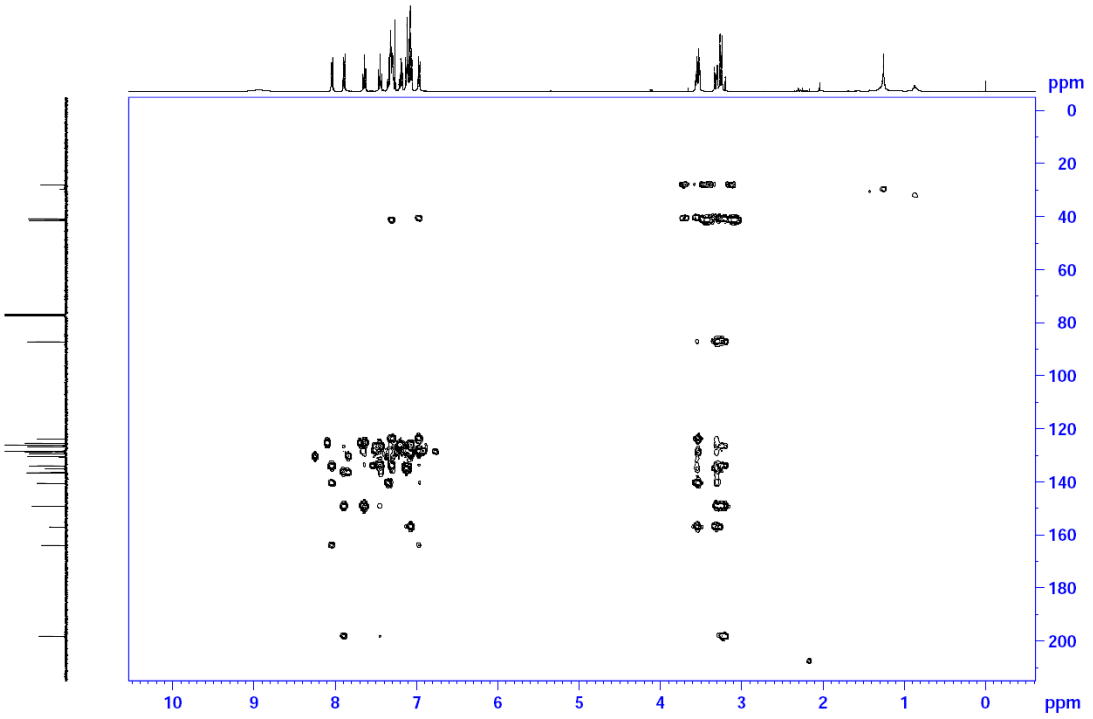
7. Copies of two-dimensional NMR spectra for product 4



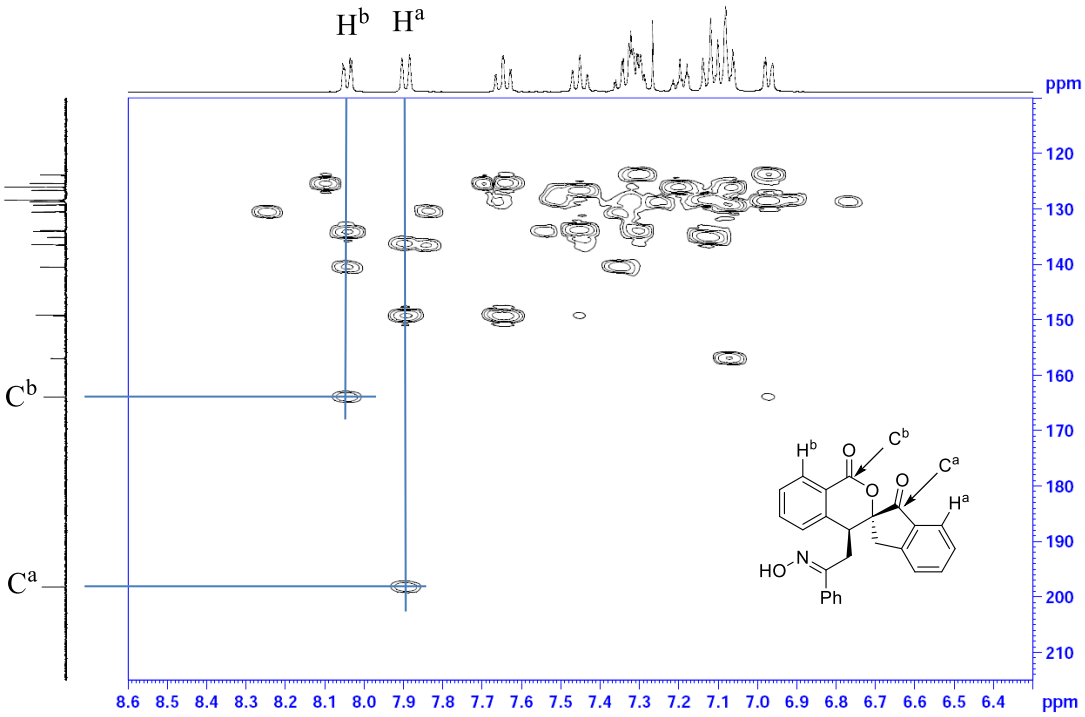
Cosy spectra of product **4**



HSQC spectra of product **4**

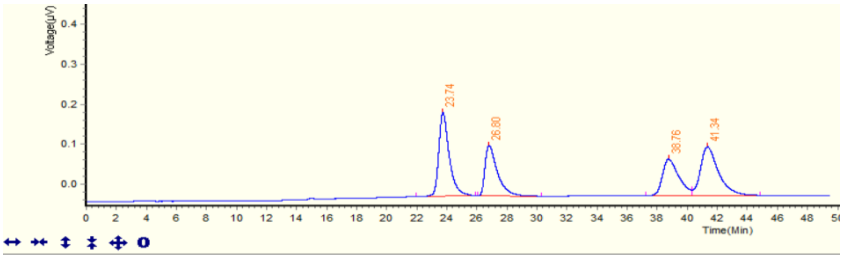


HMBC spectra of product **4**



HMBC spectra of product **4**

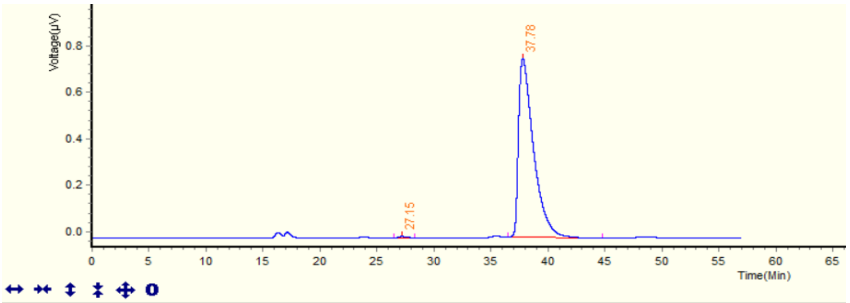
8. HPLC Specture of product 3 and 4



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	23.74	5070783	104725	29.64%	3.95	BB
2	26.80	3587902	63143	20.97%	4.243	BB
3	38.76	3417913	45587	19.98%	3.072	BV
4	41.34	5033565	60742	29.42%	4.535	VB
Total		17,110,163	274,197	100.00%		

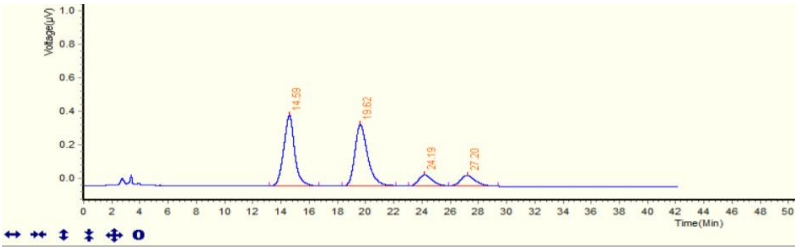
HPLC spectra of racemic **3aa**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	27.15	155546	3240	0.44%	1.871	BB
2	37.78	35304176	385251	99.56%	8.361	BB
Total		35,459,722	388,491	100.00%		

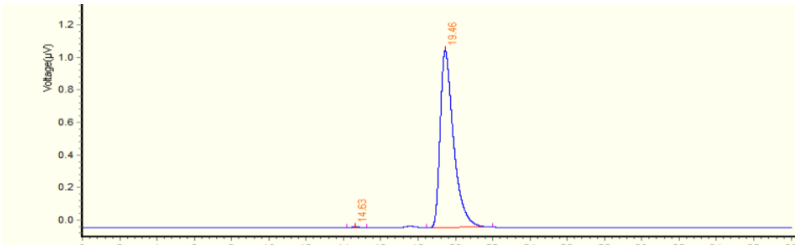
HPLC spectra of product **3aa**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	14.59	11322934	210460	41.19%	3.516	BB
2	19.62	11483427	182813	41.77%	3.815	BB
3	24.19	2279898	33866	8.29%	2.842	BV
4	27.20	2403172	31848	8.74%	3.515	VB
Total		27,489,431	458,987	100.00%		

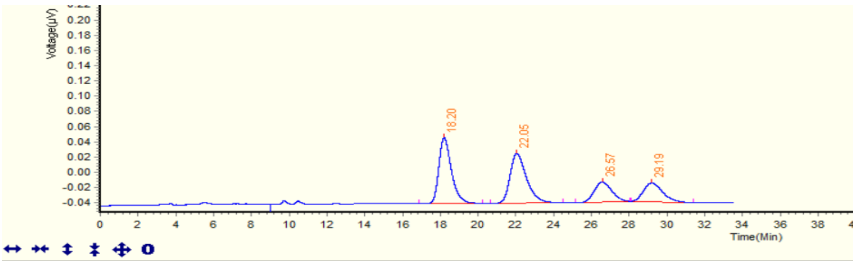
HPLC spectra of racemic **3ba**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	14.63	85420	2710	0.31%	1.069	BB
2	19.46	27133352	543561	99.69%	3.544	BB
Total		27,218,772	546,271	100.00%		

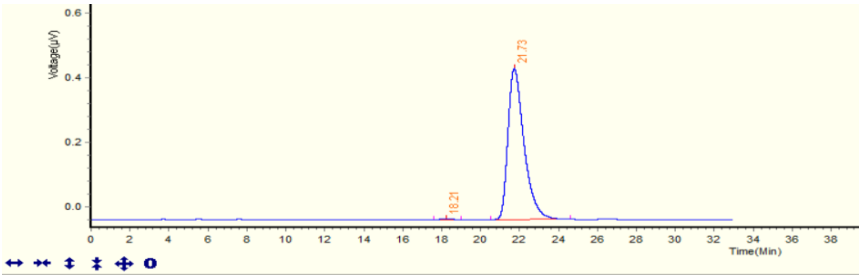
HPLC spectra of product **3ba**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	18.20	1985902	43241	35.20%	3.372	BB
2	22.05	1955471	32637	34.66%	3.803	BB
3	26.57	842924	13048	14.94%	2.853	BB
4	29.19	856855	12276	15.19%	3.285	BB
Total		5,641,152	101,202	100.00%		

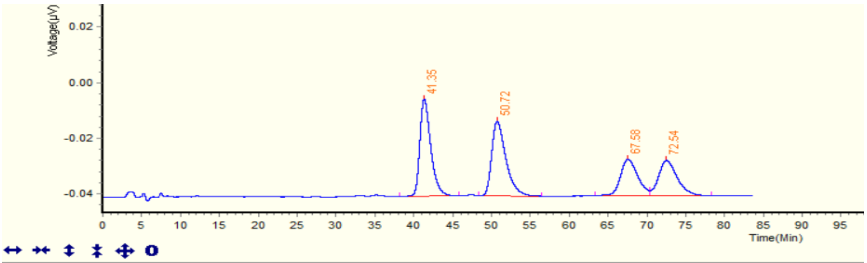
HPLC spectra of racemic **3ca**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	18.21	39247	982	0.29%	1.387	BB
2	21.73	13416447	233627	99.71%	4.127	BB
Total		13,455,694	234,609	100.00%		

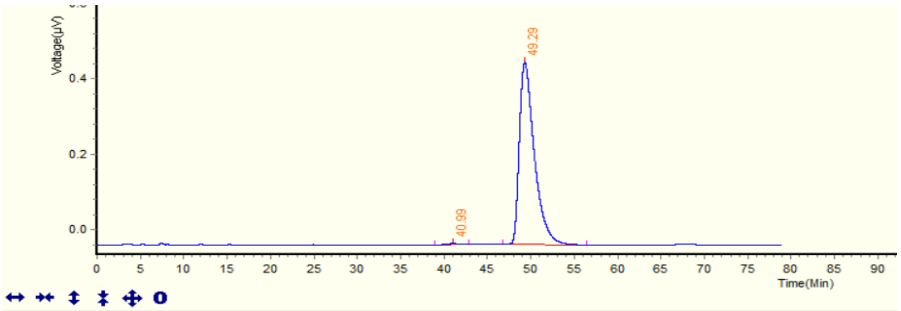
HPLC spectra of product **3ca**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	41.35	1701941	17469	31.28%	7.636	BB
2	50.72	1688473	13345	31.03%	8.086	BB
3	67.58	1004135	6567	18.46%	7.037	BV
4	72.54	1046232	6350	19.23%	7.935	VB
Total		5,440,781	43,731	100.00%		

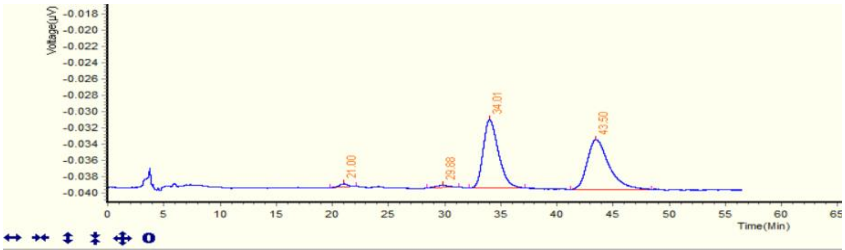
HPLC spectra of racemic **3da**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	40.99	138977	1536	0.48%	3.889	BB
2	49.29	28898464	241368	99.52%	9.561	BB
Total		29,037,441	242,904	100.00%		

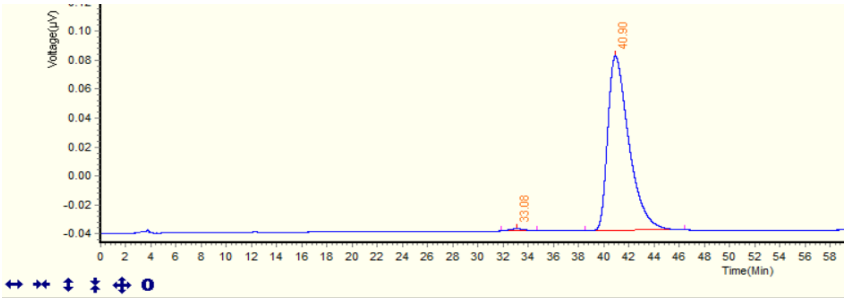
HPLC spectra of product **3da**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	21.00	10153	190	1.23%	2.335	BB
2	29.88	12307	147	1.48%	2.937	BB
3	34.01	395705	4216	47.74%	4.993	BB
4	43.50	410645	3076	49.55%	7.168	BB
Total		828,810	7,629	100.00%		

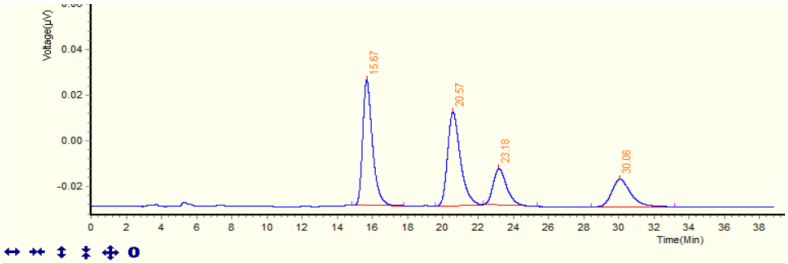
HPLC spectra of racemic **3ea**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	33.08	58322	727	0.82%	2.902	BB
2	40.90	7073175	60456	99.18%	7.841	BB
Total		7,131,497	61,183	100.00%		

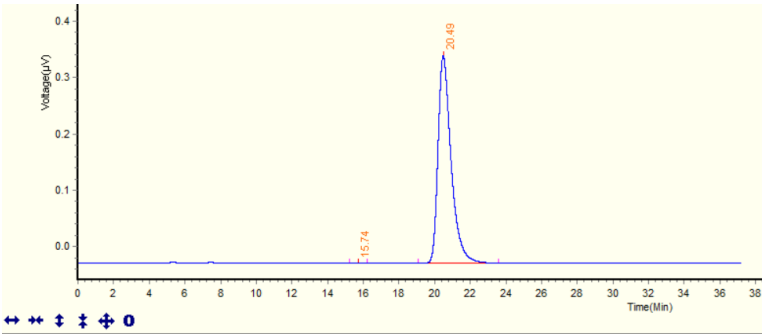
HPLC spectra of product **3ea**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	15.67	1044029	27438	35.23%	2.975	BB
2	20.57	1035168	20537	34.93%	2.693	BB
3	23.18	432935	8004	14.61%	3.055	BB
4	30.06	451374	6041	15.23%	4.744	BB
Total		2,963,506	62,020	100.00%		

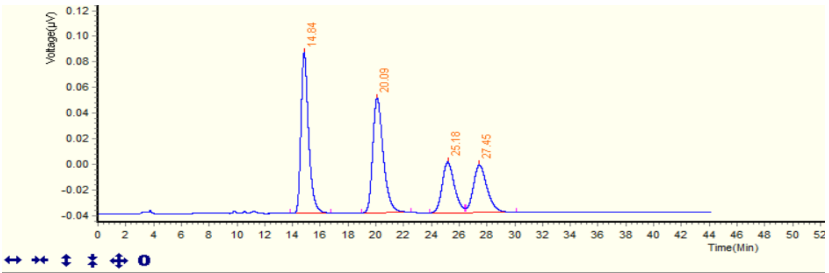
HPLC spectra of racemic **3fa**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	15.74	11857	389	0.13%	1.002	BB
2	20.49	9291514	183252	99.87%	4.506	BB
Total		9,303,371	183,641	100.00%		

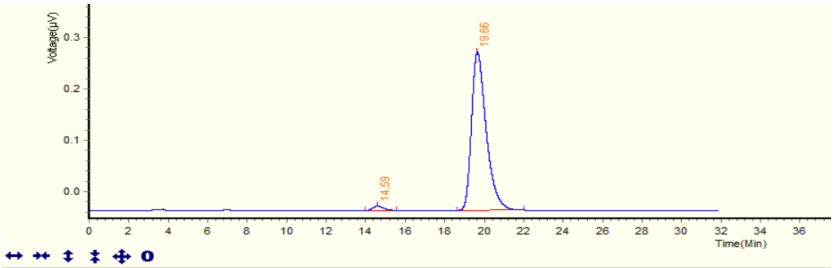
HPLC spectra of product **3fa**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	14.84	2383608	62708	32.85%	2.928	BB
2	20.09	2373730	44887	32.71%	3.568	BB
3	25.18	1213758	19751	16.73%	2.562	BV
4	27.45	1285162	18621	17.71%	3.704	VB
Total		7,256,258	145,967	100.00%		

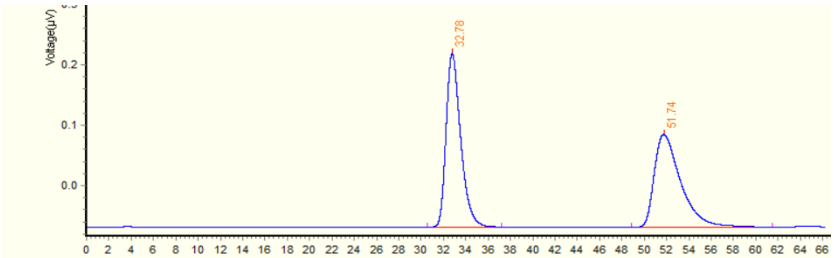
HPLC spectra of racemic **3ga**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	14.59	166081	4514	2.04%	1.584	BB
2	19.66	7974685	153987	97.96%	3.401	BB
Total		8,140,766	158,501	100.00%		

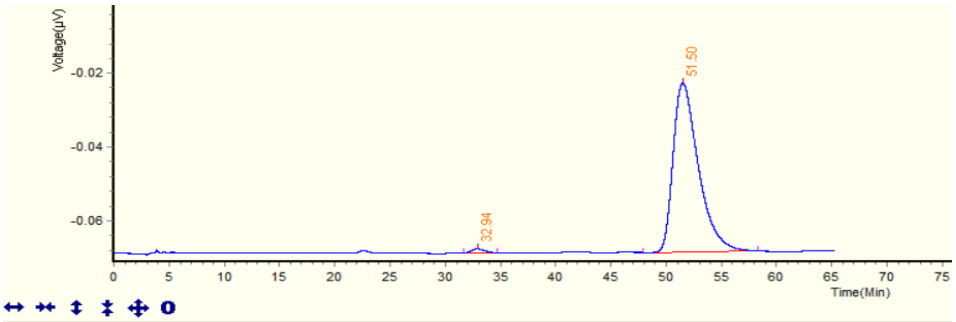
HPLC spectra of product **3ga**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	32.78	13048272	143955	50.77%	6.651	BB
2	51.74	12654189	76872	49.23%	12.686	BB
Total		25,702,461	220,827	100.00%		

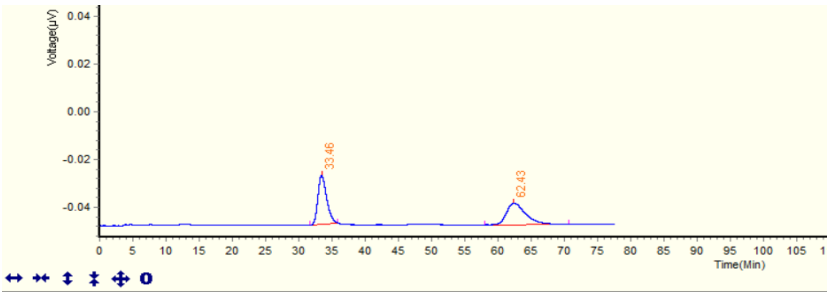
HPLC spectra of racemic **3ed**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	32.94	48018	577	1.30%	3.11	BB
2	51.50	3652806	22961	98.70%	10.414	BB
Total		3,700,824	23,538	100.00%		

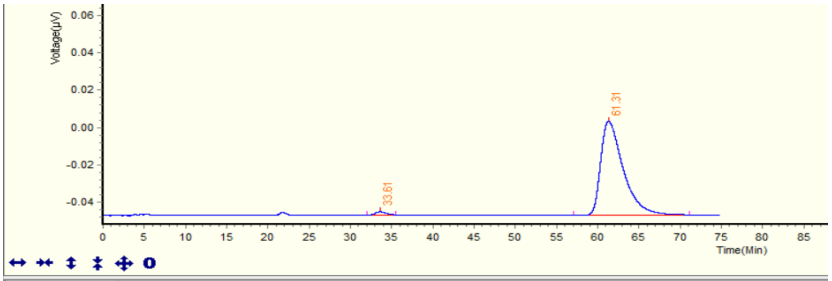
HPLC spectra of product **3ed**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	33.46	937373	10258	50.71%	4.169	BB
2	62.43	911226	4545	49.29%	12.786	BB
Total		1,848,599	14,803	100.00%		

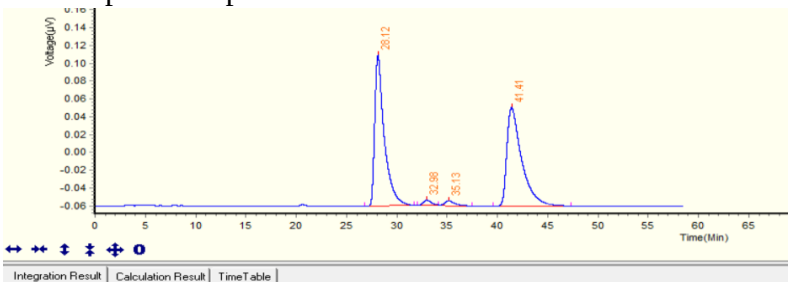
HPLC spectra of racemic **3ee**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	33.61	78313	872	1.62%	3.482	BB
2	61.31	4764159	25236	98.38%	14.061	BB
Total		4,842,472	26,108	100.00%		

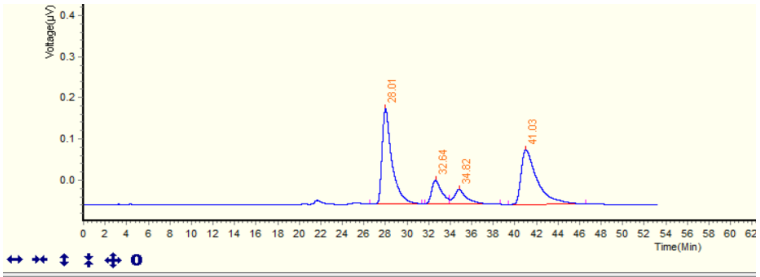
HPLC spectra of product **3ee**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	28.12	5576214	84436	48.02%	4.92	BB
2	32.98	178134	2973	1.53%	2.093	BV
3	35.13	213537	2898	1.84%	3.35	VB
4	41.41	5645162	55205	48.61%	7.748	BB
Total		11,613,047	145,512	100.00%		

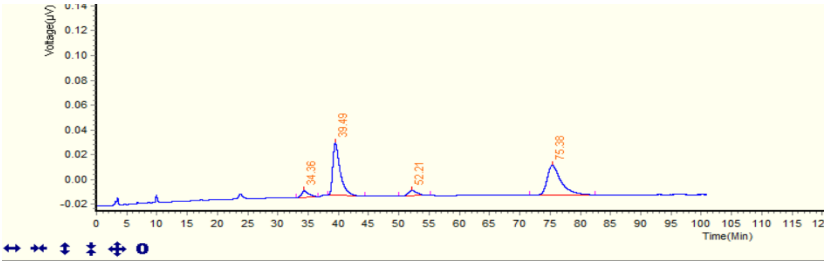
HPLC spectra of racemic **3ja**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	28.01	7291209	116001	41.91%	4.818	BB
2	32.64	1828908	28594	10.51%	2.268	BV
3	34.82	1430060	17545	8.22%	4.723	VB
4	41.03	6846359	66407	39.35%	7.18	BB
Total		17,396,536	228,547	100.00%		

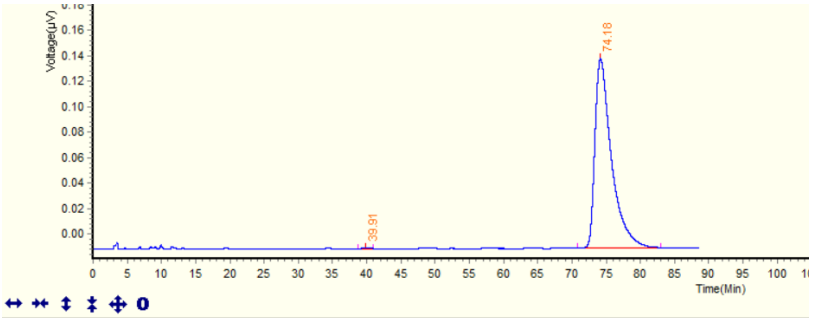
HPLC spectra of product **3ja**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	34.36	203085	2513	5.00%	3.607	BB
2	39.49	1774709	21037	43.68%	6.133	BB
3	52.21	201353	1978	4.96%	5.231	BB
4	75.38	1883586	11935	46.36%	10.823	BB
Total		4,062,733	37,463	100.00%		

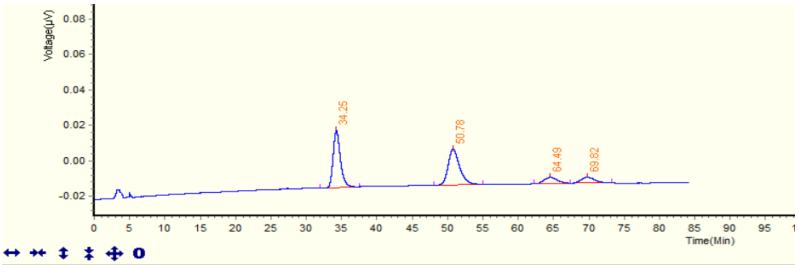
HPLC spectra of racemic **3ab**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	39.91	19875	324	0.16%	2.287	BB
2	74.18	12457418	74424	99.84%	12.164	BB
Total		12,477,293	74,748	100.00%		

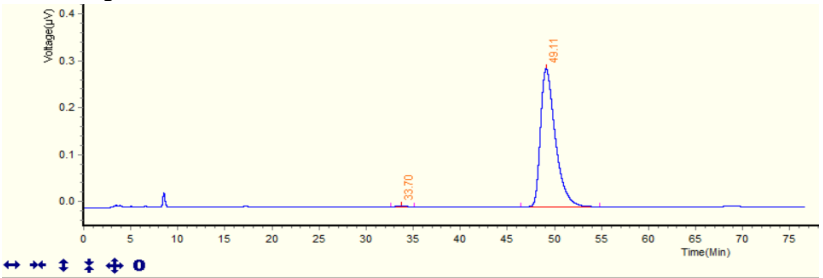
HPLC spectra of product **3ab**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	34.25	1142167	16043	41.54%	5.575	BB
2	50.78	1136670	10099	41.34%	6.931	BB
3	64.49	236251	1764	8.59%	5.123	BV
4	69.82	234231	1668	8.52%	5.877	VB
Total		2,749,319	29,574	100.00%		

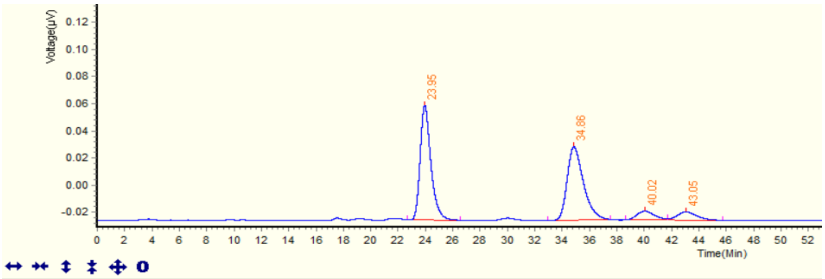
HPLC spectra of racemic **3ac**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	33.70	84339	1350	0.52%	2.538	BB
2	49.11	16019872	146676	99.48%	8.327	BB
Total		16,104,211	148,026	100.00%		

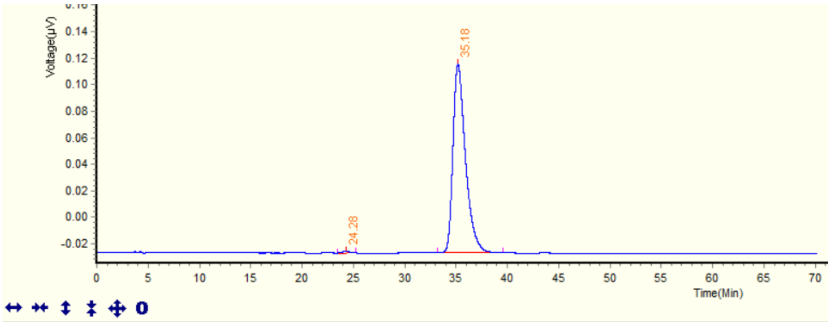
HPLC spectra of product **3ac**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	23.95	2290922	42125	44.87%	3.872	BB
2	34.86	2219870	26915	43.48%	4.582	BB
3	40.02	293215	3234	5.74%	3.081	BV
4	43.05	301483	3057	5.91%	4.029	VB
Total		5,105,490	75,331	100.00%		

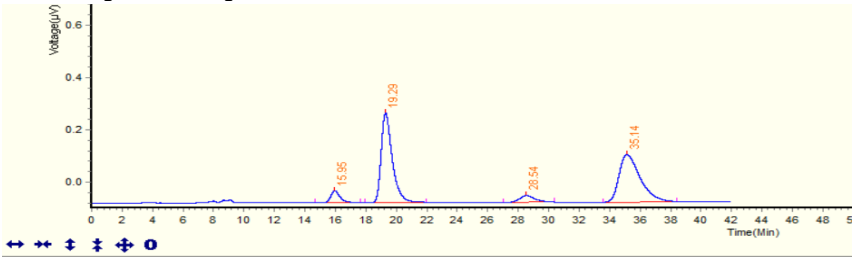
HPLC spectra of racemic **3ad**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	24.28	27291	561	0.45%	1.745	BB
2	35.18	6035115	71010	99.55%	6.397	BB
Total		6,062,406	71,571	100.00%		

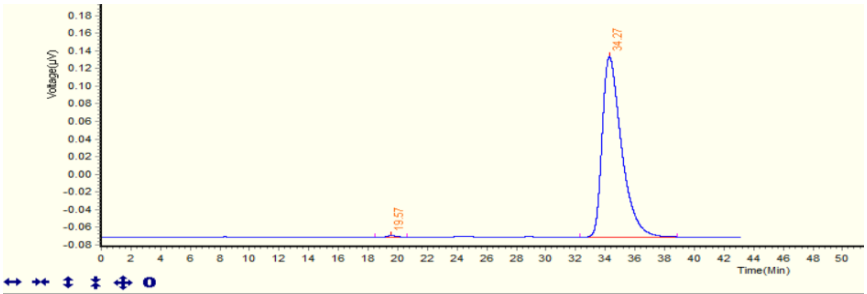
HPLC spectra of product **3ad**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	15.95	941235	22778	4.95%	2.916	BB
2	19.29	8572645	170113	45.09%	4.019	BB
3	28.54	873866	12377	4.60%	3.349	BB
4	35.14	8626012	90218	45.37%	4.837	BB
Total		19,013,758	295,486	100.00%		

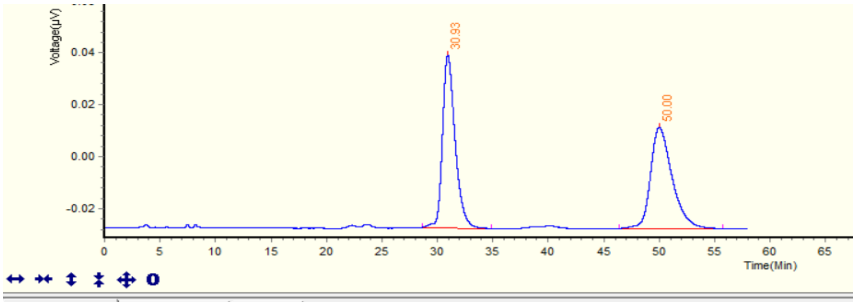
HPLC spectra of racemic **3ae**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	19.57	39936	891	0.43%	2.145	BB
2	34.27	9241893	102243	99.57%	6.569	BB
Total		9,281,829	103,134	100.00%		

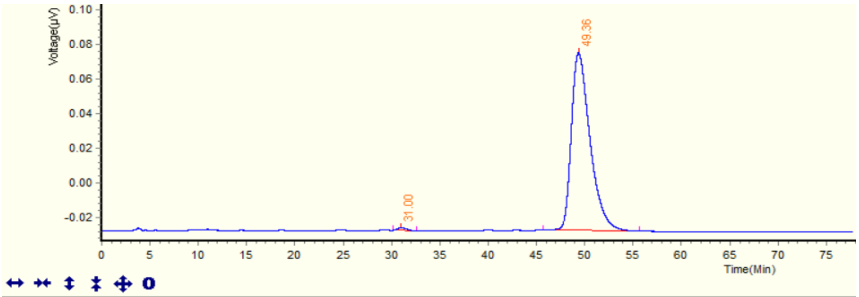
HPLC spectra of product **3ae**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	30.93	2622515	33251	49.91%	6.185	BB
2	50.00	2632331	19507	50.09%	9.307	BB
Total		5,254,846	52,758	100.00%		

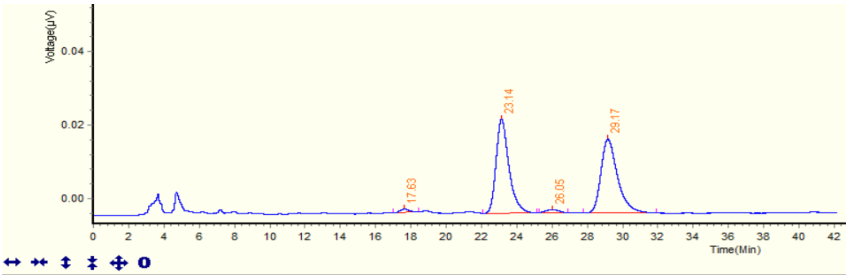
HPLC spectra of racemic **3af**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	31.00	50957	764	0.73%	2.434	BB
2	49.36	6917912	51339	99.27%	9.984	BB
Total		6,968,869	52,103	100.00%		

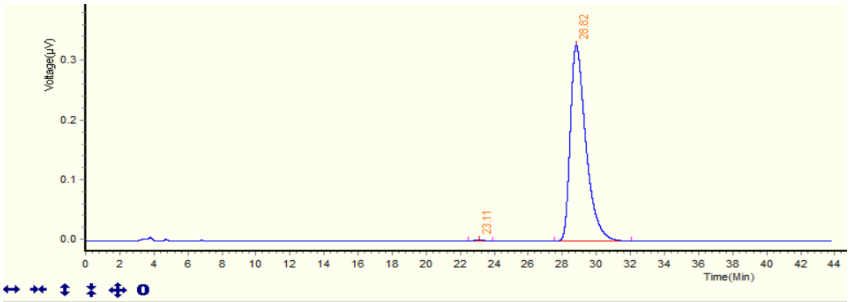
HPLC spectra of product **3af**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	17.63	16854	454	1.26%	1.442	BB
2	23.14	643596	12806	48.11%	3.03	BB
3	26.05	20710	404	1.55%	1.63	BB
4	29.17	656550	10057	49.08%	4.128	BB
Total		1,337,710	23,721	100.00%		

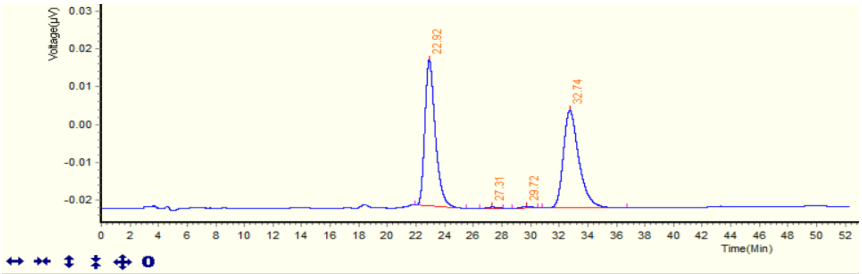
HPLC spectra of racemic **3ag**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	23.11	38812	912	0.37%	1.452	BB
2	28.82	10448827	164173	99.63%	4.536	BB
Total		10,487,639	165,085	100.00%		

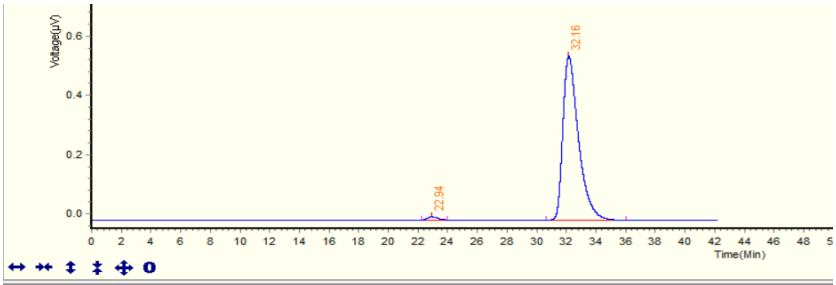
HPLC spectra of product **3ag**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	22.92	974416	19276	49.75%	3.575	BB
2	27.31	8193	181	0.42%	1.68	BB
3	29.72	11100	235	0.57%	1.788	BB
4	32.74	964884	12846	49.26%	5.904	BB
Total		1,958,593	32,538	100.00%		

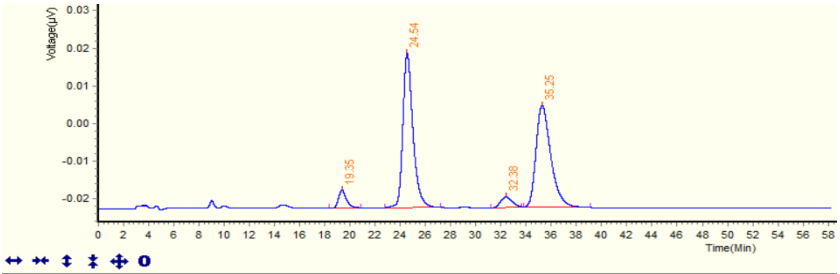
HPLC spectra of racemic **3ah**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	22.94	255666	5272	1.25%	1.69	BB
2	32.16	20167446	276071	98.75%	5.417	BB
Total		20,423,112	281,343	100.00%		

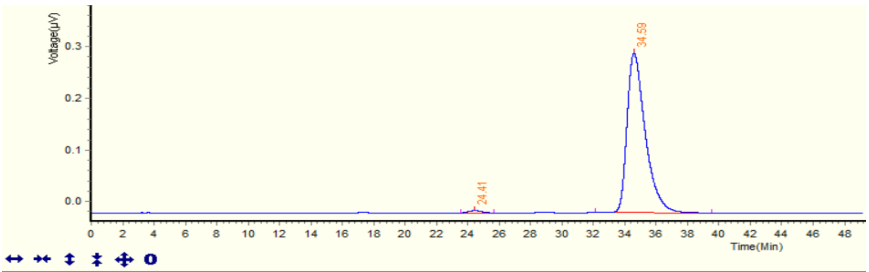
HPLC spectra of racemic **3ah**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	19.35	96908	2356	4.00%	2.52	BB
2	24.54	1138627	20485	46.99%	4.404	BB
3	32.38	86139	1368	3.55%	2.432	BB
4	35.25	1101555	13503	45.46%	5.309	BB
Total		2,423,229	37,712	100.00%		

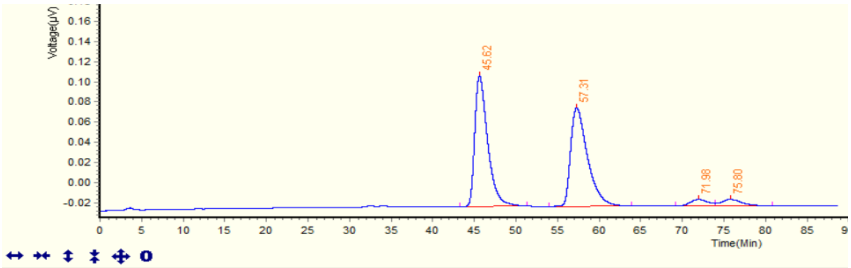
HPLC spectra of racemic **3ai**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	24.41	121795	2143	0.97%	2.138	BB
2	34.59	12496791	154493	99.03%	7.381	BB
Total		12,618,586	156,636	100.00%		

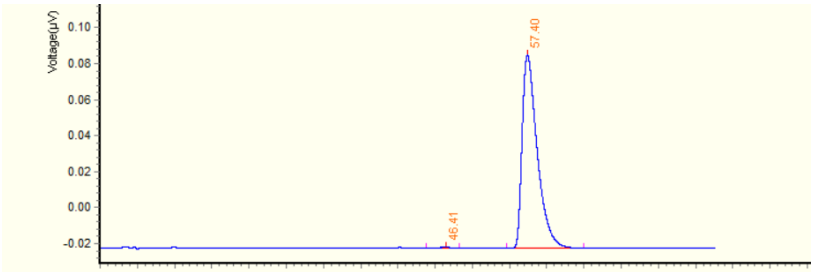
HPLC spectra of product **3ai**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	45.62	6968643	64478	46.90%	8.083	BB
2	57.31	6851540	48693	46.11%	9.828	BB
3	71.98	479233	3200	3.23%	4.775	BV
4	75.80	559958	3187	3.77%	6.89	VB
Total		14,859,374	119,558	100.00%		

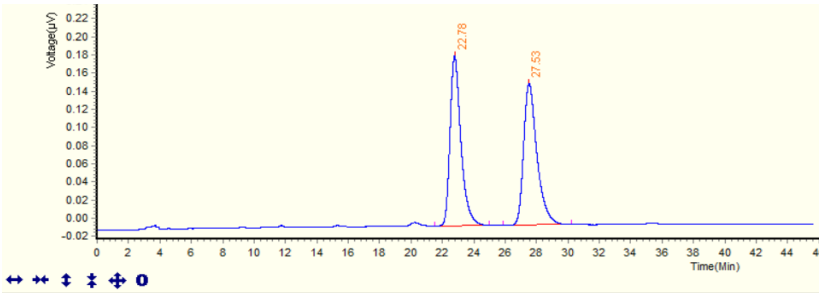
HPLC spectra of racemic **3aj**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	46.41	39462	288	0.52%	4.368	BB
2	57.40	7568249	53678	99.48%	10.362	BB
Total		7,607,711	53,966	100.00%		

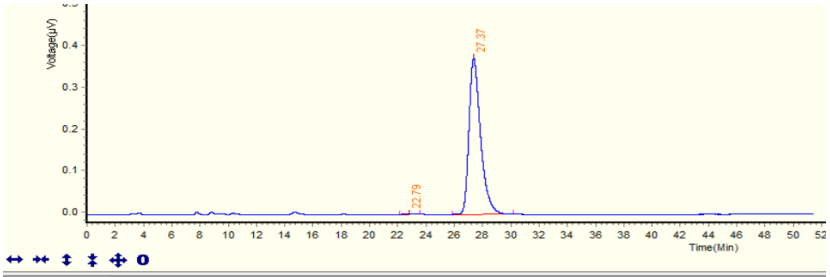
HPLC spectra of product **3aj**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	22.78	4493115	93277	49.41%	3.498	BB
2	27.53	4600969	77938	50.59%	4.398	BB
Total		9,094,084	171,215	100.00%		

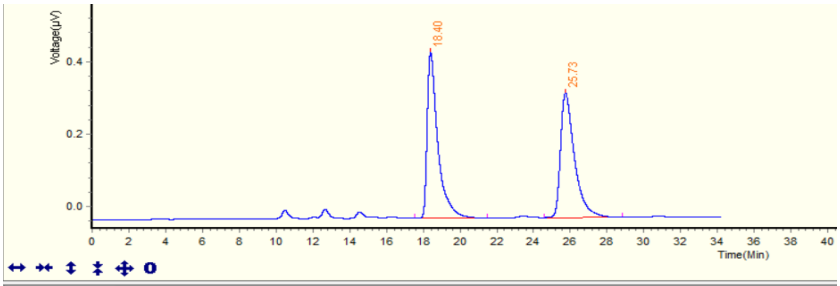
HPLC spectra of racemic **3ak**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	22.79	31707	772	0.30%	1.438	BB
2	27.37	10683007	186712	99.70%	4.313	BB
Total		10,714,714	187,484	100.00%		

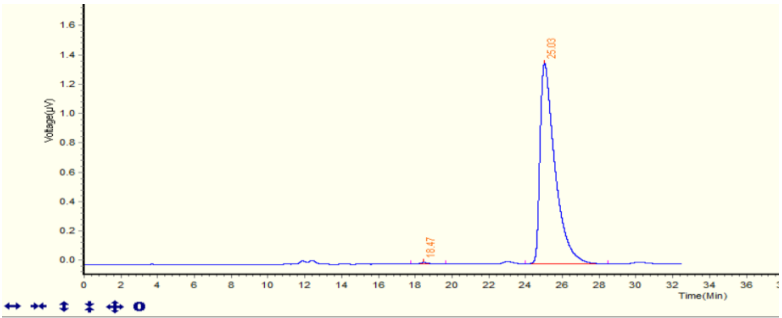
HPLC spectra of product **3ak**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	18.40	9174901	228099	50.29%	3.898	BB
2	25.73	9069037	171777	49.71%	4.214	BB
Total		18,243,938	399,876	100.00%		

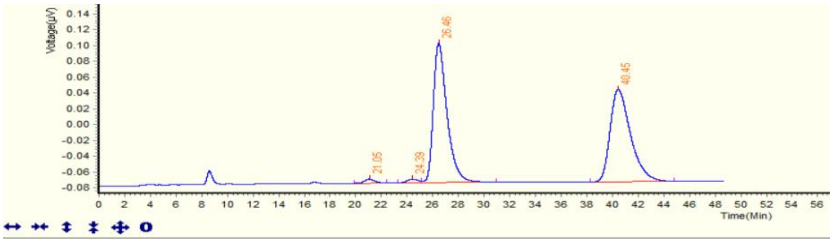
HPLC spectra of racemic **3al**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	18.47	186574	5353	0.48%	1.902	BB
2	25.03	38621680	683014	99.52%	4.503	BB
Total		38,808,254	688,367	100.00%		

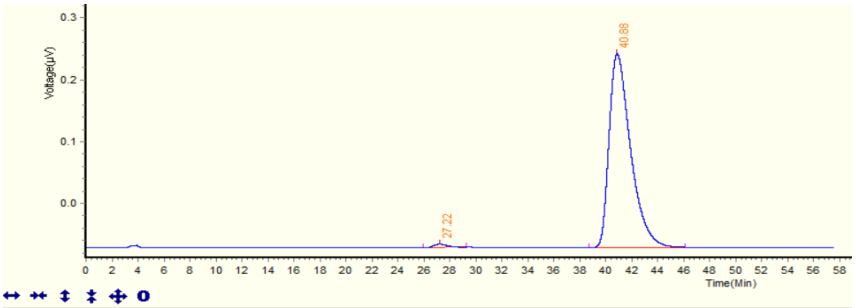
HPLC spectra of product **3al**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	21.05	135871	2529	1.03%	2.528	BB
2	24.39	127947	2232	0.97%	1.829	BV
3	26.46	6505095	87789	49.15%	5.841	VB
4	40.45	6466483	58451	48.86%	6.537	BB
Total		13,235,396	151,001	100.00%		

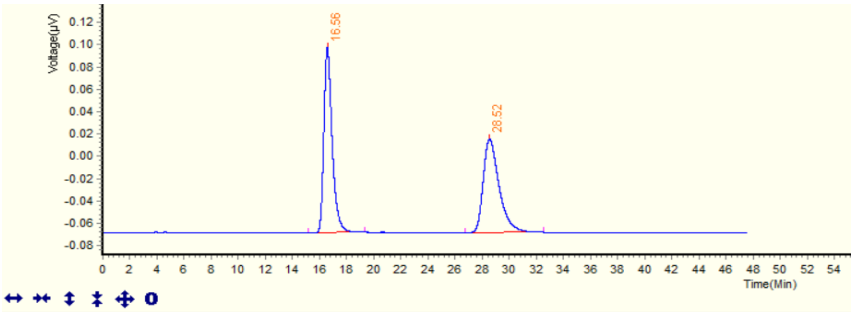
HPLC spectra of racemic **3am**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	27.22	192413	2665	1.06%	3.318	BB
2	40.88	17984159	156567	98.94%	7.403	BB
Total		18,176,572	159,232	100.00%		

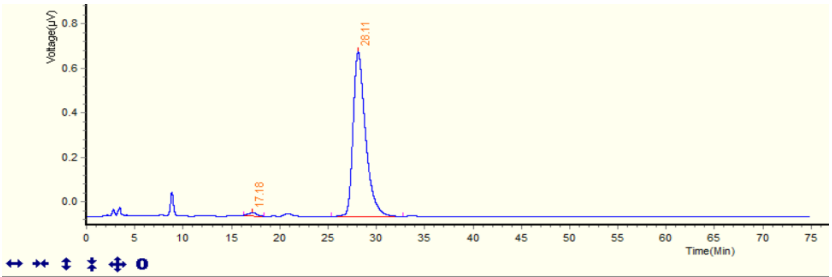
HPLC spectra of product **3am**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	16.56	3517182	82627	50.91%	4.236	BB
2	28.52	3391990	41681	49.09%	5.763	BB
Total		6,909,172	124,308	100.00%		

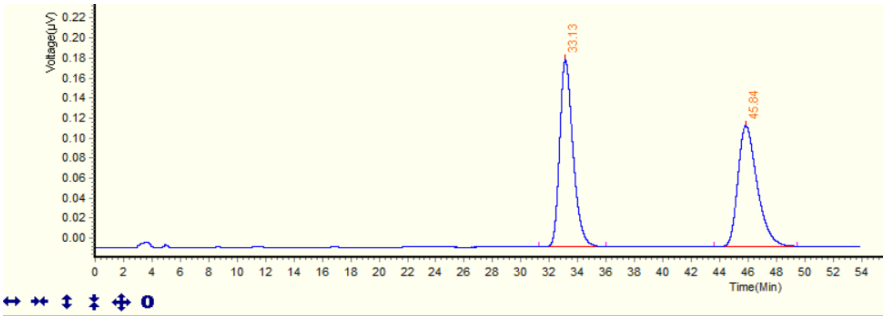
HPLC spectra of racemic **3an**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	17.18	384683	7014	1.15%	2.12	BB
2	28.11	33150331	370022	98.85%	7.376	BB
Total		33,535,014	377,036	100.00%		

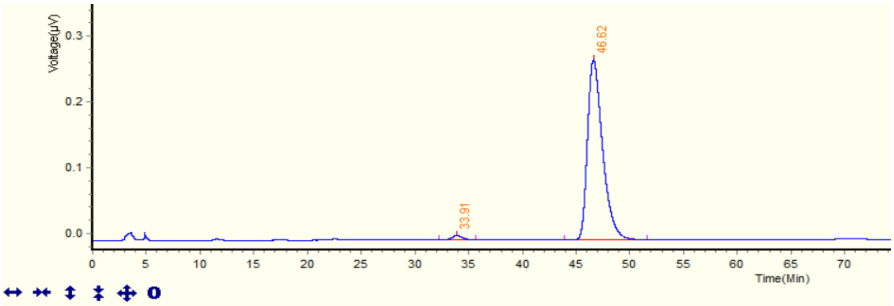
HPLC spectra of product **3an**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	33.13	6096683	93544	51.70%	4.731	BB
2	45.84	5695579	60353	48.30%	5.787	BB
Total		11,792,262	153,897	100.00%		

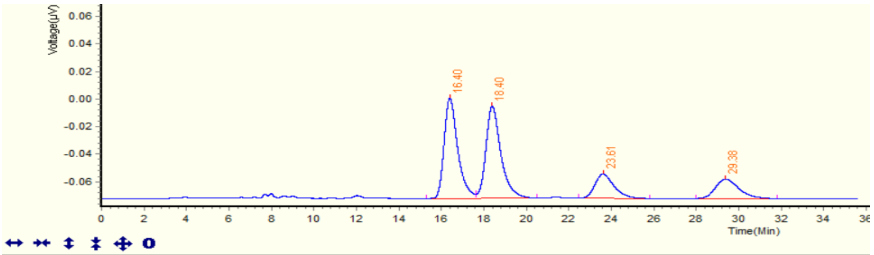
HPLC spectra of racemic **3ao**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	33.91	231569	3491	1.70%	3.391	BB
2	46.62	13367317	137054	98.30%	7.707	BB
Total		13,598,886	140,545	100.00%		

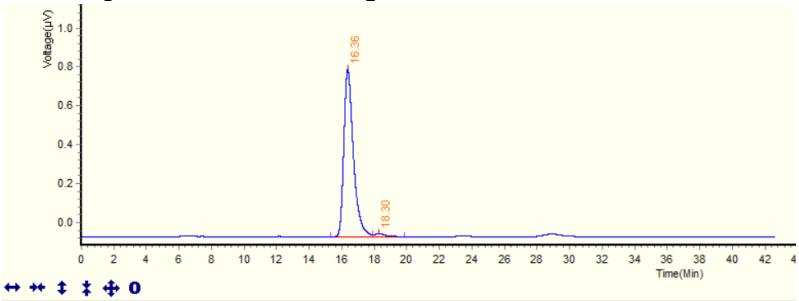
HPLC spectra of product **3ao**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	16.40	1616883	36312	37.26%	2.336	BV
2	18.40	1636735	33507	37.72%	2.868	VB
3	23.61	541730	8681	12.48%	3.346	BB
4	29.38	544052	7100	12.54%	3.823	BB
Total		4,339,400	85,600	100.00%		

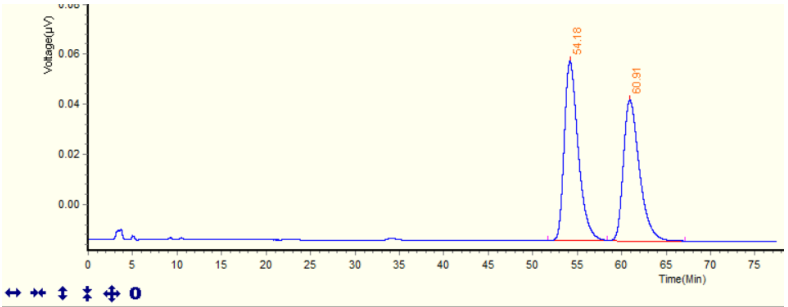
HPLC spectra of racemic **3ap**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	16.36	17444162	429029	98.14%	2.546	BV
2	18.30	330177	6843	1.86%	1.975	VB
Total		17,774,339	435,872	100.00%		

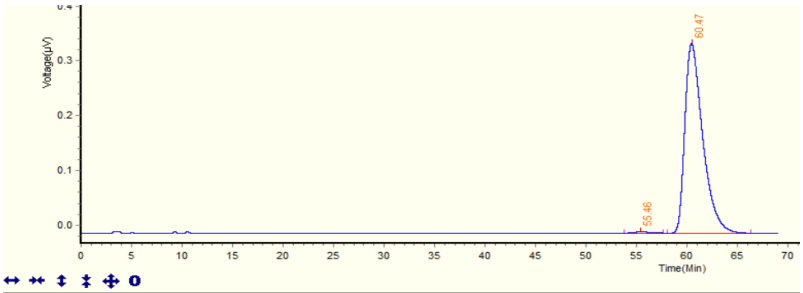
HPLC spectra of product **3ap**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	54.18	3899114	35807	52.58%	6.658	BV
2	60.91	3516321	28156	47.42%	8.663	VB
Total		7,415,435	63,963	100.00%		

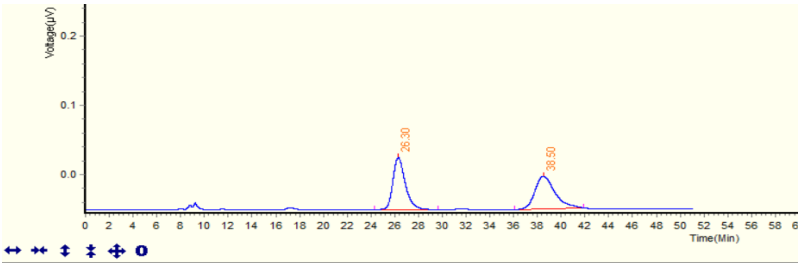
HPLC spectra of racemic **3aq**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	55.46	150396	1102	0.70%	3.932	BB
2	60.47	21284651	172655	99.30%	8.221	BB
Total		21,435,047	173,757	100.00%		

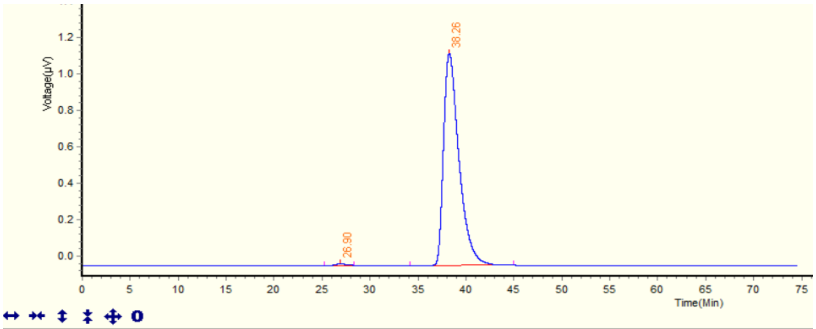
HPLC spectra of product **3aq**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	26.30	2698322	37519	49.55%	5.303	BB
2	38.50	2747432	23652	50.45%	5.761	BB
Total		5,445,754	61,171	100.00%		

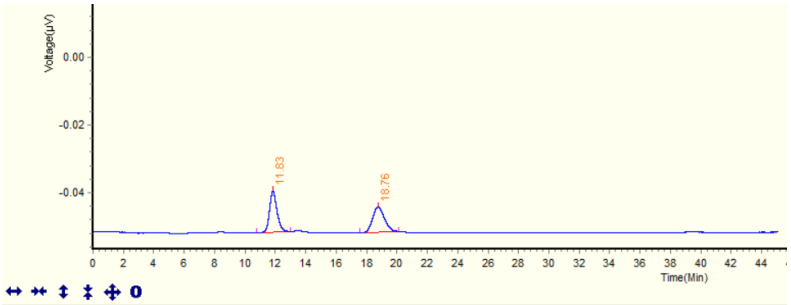
HPLC spectra of racemic **3ar**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	26.90	297019	4411	0.46%	3.061	BB
2	38.26	64959323	581346	99.54%	10.79	BB
Total		65,256,342	585,757	100.00%		

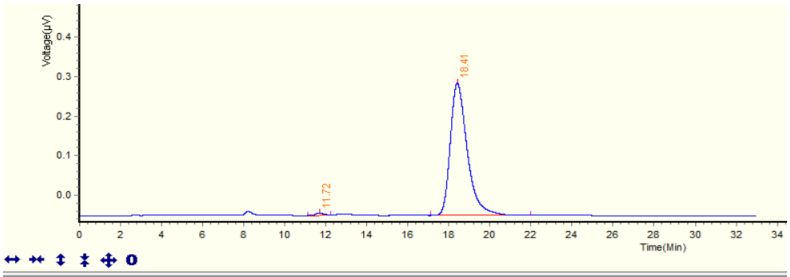
HPLC spectra of product **3ar**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	11.83	205410	6031	50.62%	2.196	BB
2	18.76	200382	3743	49.38%	2.569	BB
Total		405,792	9,774	100.00%		

HPLC spectra of racemic **4**



Integration Result | Calculation Result | TimeTable

No.	Retention Time	Peak Area	Peak Height	Peak Area(%)	Peak Width	
1	11.72	76001	2533	0.78%	1.093	BB
2	18.41	9609686	167279	99.22%	4.882	BB
Total		9,685,687	169,812	100.00%		

HPLC spectra of product **4**