

Divergent Synthesis of Flavones and Flavanones from 2'-Hydroxydihydrochalcones via Palladium-Catalyzed Oxidative Cyclization

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Abstract: Divergent and versatile synthetic routes to flavones and flavanones via efficient Pd(II) catalysis are disclosed. These Pd(II) catalysis expediently provide a variety of flavones and flavanones from 2'-hydroxydihydrochalcones as common intermediates, depending on oxidants and additives, via discriminate oxidative cyclization sequences involving dehydrogenation, respectively, in highly atom-economic manner.

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1. General Information

Unless stated otherwise, all reagents were purchased from commercial suppliers (Sigma Aldrich, TCI, Alfa Aesar and Strem chemicals) and used as received without further purification. If necessary, solvents were dried following the standard procedures. All reactions were performed in oven-dried reaction flasks with rubber septum under argon atmosphere. ¹H NMR was measured and obtained using a Bruker 400 and ¹³C NMR spectra was measured on a Varian VNMR S500 spectrometer. ¹H and ¹³C NMR chemical shifts were determined relative to the signal of the residual solvent peak used as an internal reference. Signals are recorded as follows: chemical shift (δ , ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, br = broad). Coupling constants (J) were reported in Hertz (Hz). High-resolution mass spectrometry (HRMS) data were recorded using Jeol AccuTOF (JMS-T100TD) equipped with a DART (direct analysis in real time) ion source from Ionsens, (Tokyo, Japan) in the EI or ESI mode. Flash column chromatography was performed using silica gel 60 (230-400 mesh) and analytical thin layer chromatography (TLC) was performed using Merck TLC Silica gel 60 F 254 plates. Visualization of the TLC were performed under UV light (254nm or 365 nm) or by TLC staining (Hanessian's stain or *p*-anisaldehyde stain)

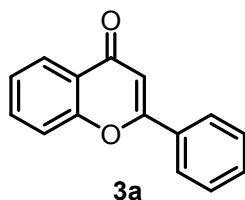
2. Experimental Procedures

2.1 Synthesis of flavone derivatives (3a-3z, 3aa-3ac, 5a, and 5c)

2.1.1 General procedure for the synthesis of flavones from 2'-hydroxydihydrochalcones 1

A mixture of 2'-hydroxydihydrochalcones **1** (50 mg, 0.221 mmol), Pd(TFA)₂ (7.3 mg, 0.022 mmol), 5-nitro-1,10-phenanthroline (10 mg, 0.044 mmol) in anhydrous DMSO (0.3 M, 0.7 mL) was stirred in a 10-mL round-bottomed flask. Under an O₂ atmosphere, the reaction mixture was heated at 100 °C in oil bath until complete of the reaction on TLC. After cooling, water was added and the mixture was extracted with EtOAc. The combined organic layers were dried with MgSO₄, filtered, and concentrated in vacuo. The residue was purified by chromatography on silica gel column.

2-phenyl-4*H*-chromen-4-one **3a**



White solid (47 mg, 81%).

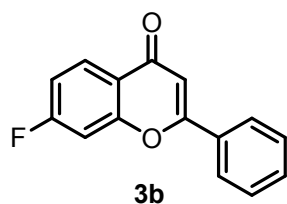
¹H NMR (400 MHz, Chloroform-*d*) δ 8.23 (dd, J = 7.9, 1.7 Hz, 1H), 7.92 (dd, J = 7.5, 2.2 Hz, 2H), 7.70 (ddd, J = 8.6, 7.1, 1.7 Hz, 1H), 7.56 (d, J = 8.4 Hz, 1H), 7.55 – 7.48 (m, 3H), 7.44 – 7.38 (m, 1H), 6.82 (s, 1H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 178.56, 163.49, 156.35, 133.89, 131.85, 131.72, 129.15, 126.38, 125.79, 125.33, 124.04, 118.20, 107.67.

HRMS (ESI+) m/z : [M+H]⁺ Calcd for C₁₅H₁₁O₂ 223.0759; Found 223.0772.

The characterization of the compound matched up with the data previously reported.¹

7-fluoro-2-phenyl-4*H*-chromen-4-one **3b**



White solid (34.6 mg, 70%).

¹H NMR (400 MHz, Chloroform-*d*) δ 8.25 (dd, J = 8.8, 6.3 Hz, 1H), 7.96 – 7.85 (m, 2H), 7.59 – 7.51 (m, 3H), 7.27 (dd, J = 9.0, 2.4 Hz, 1H), 7.16 (ddd, J = 8.9, 8.1, 2.4 Hz, 1H), 6.81 (s, 1H).

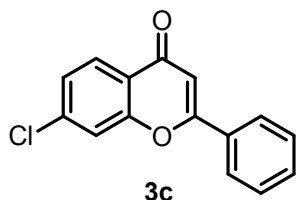
^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.57, 165.83 (d, J = 255.1 Hz), 163.83, 157.34 (d, J = 13.3 Hz), 131.89, 131.51, 129.22, 128.33 (d, J = 10.5 Hz), 126.36, 120.92, 114.10 (d, J = 22.8 Hz), 107.74, 104.92 (d, J = 25.3 Hz).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -102.71.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{F}_1\text{O}_2$ 241.0665; Found 241.0670.

The characterization of the compound matched up with the data previously reported.²

7-chloro-2-phenyl-4*H*-chromen-4-one **3c**



White solid (45.5 mg, 84%).

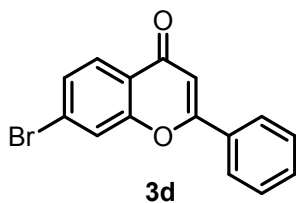
^1H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, J = 8.6 Hz, 1H), 7.92 (dd, J = 7.9, 1.8 Hz, 2H), 7.62 (d, J = 1.9 Hz, 1H), 7.59 – 7.50 (m, 3H), 7.40 (dd, J = 8.5, 1.9 Hz, 1H), 6.83 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.39, 162.33, 156.27, 138.00, 134.04, 130.34, 129.49, 127.65, 125.85, 125.50, 124.00, 118.15, 107.79.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{Cl}_1\text{O}_2$ 257.0369; Found 257.0371.

The characterization of the compound matched up with the data previously reported.²

7-bromo-2-phenyl-4*H*-chromen-4-one **3d**



White solid (46.4 mg, 92%).

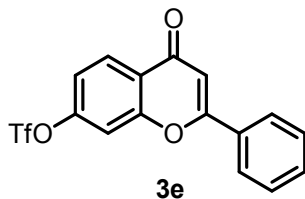
^1H NMR (400 MHz, Chloroform-*d*) δ 8.10 (d, J = 8.5 Hz, 1H), 7.91 (dd, J = 7.9, 1.8 Hz, 2H), 7.80 (d, J = 1.8 Hz, 1H), 7.59 – 7.50 (m, 4H), 6.83 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.75, 163.58, 156.38, 131.97, 131.44, 129.23, 128.97, 128.06, 127.23, 126.39, 122.95, 121.34, 107.89.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{Br}_1\text{O}_2$ 300.9864; Found 300.9863.

The characterization of the compound matched up with the data previously reported.³

4-oxo-2-phenyl-4*H*-chromen-7-yl trifluoromethanesulfonate **3e**



White solid (48 mg, 72%).

^1H NMR (400 MHz, Chloroform-*d*) δ 8.35 (d, J = 8.8 Hz, 1H), 7.93 (dd, J = 8.0, 1.6 Hz, 2H), 7.63 – 7.51 (m, 4H), 7.35 (dd, J = 8.8, 2.3 Hz, 1H), 6.86 (s, 1H).

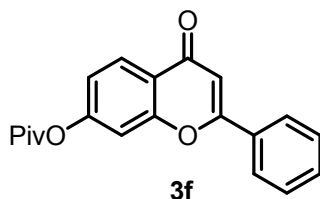
^{13}C NMR (126 MHz, Chloroform-*d*) δ 176.99, 164.34, 156.55, 152.35, 132.24, 131.10, 129.32, 128.54, 126.47, 123.81, 120.06, 118.71, 117.51, 111.68, 108.06.

^{19}F NMR (471 MHz, Chloroform-*d*) δ -72.42.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{10}\text{F}_3\text{O}_5\text{S}_1$ 371.0201; Found 371.0196.

The characterization of the compound matched up with the data previously reported.⁴

4-oxo-2-phenyl-4*H*-chromen-7-yl pivalate **3f**



Yellow solid (34 mg, 55%).

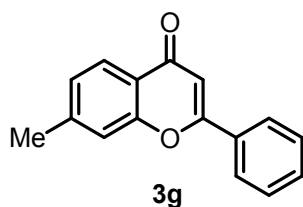
^1H NMR (400 MHz, Chloroform-*d*) δ 8.25 (d, J = 8.6 Hz, 1H), 7.90 (dd, J = 7.7, 1.9 Hz, 2H), 7.57 – 7.49 (m, 3H), 7.39 (d, J = 2.1 Hz, 1H), 7.14 (dd, J = 8.7, 2.1 Hz, 1H), 6.83 (s, 1H), 1.40 (s, 9H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.89, 176.44, 163.75, 156.84, 155.28, 131.82, 131.63, 129.18, 127.13, 126.36, 121.71, 119.54, 111.16, 107.71, 39.42, 27.15.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{19}\text{O}_4$ 323.1283; Found 323.1279.

The characterization of the compound matched up with the data previously reported.¹

7-methyl-2-phenyl-4H-chromen-4-one **3g**



Yellow solid (42 mg, 93%).

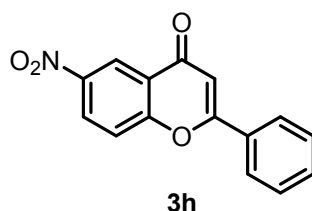
^1H NMR (400 MHz, Chloroform-*d*) δ 8.12 (d, J = 8.1 Hz, 1H), 7.98 – 7.88 (m, 2H), 7.56 – 7.51 (m, 3H), 7.39 (s, 1H), 7.25 (dd, J = 8.4, 1.2 Hz, 1H), 6.81 (s, 1H), 2.52 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.53, 163.21, 156.49, 145.23, 131.99, 131.59, 129.12, 126.83, 126.33, 125.53, 121.79, 117.96, 107.61, 21.95.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{13}\text{O}_2$ 237.0916; Found 237.0915.

The characterization of the compound matched up with the data previously reported.²

6-nitro-2-phenyl-4H-chromen-4-one **3h**



White solid (20 mg, 41%).

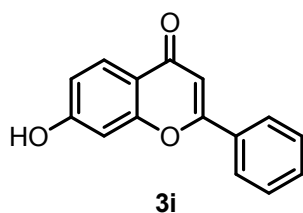
^1H NMR (400 MHz, Chloroform-*d*) δ 9.12 (d, J = 2.8 Hz, 1H), 8.55 (dd, J = 9.1, 2.8 Hz, 1H), 7.98 – 7.91 (m, 2H), 7.74 (d, J = 9.1 Hz, 1H), 7.62 – 7.55 (m, 3H), 6.90 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 176.79, 164.24, 159.17, 144.93, 132.48, 130.85, 129.39, 128.25, 126.54, 124.19, 122.62, 119.93, 107.97.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{N}_1\text{O}_4$ 268.0610; Found 268.0615.

The characterization of the compound matched up with the data previously reported.¹

7-hydroxy-2-phenyl-4H-chromen-4-one **3i**



Yellow solid (37.5 mg, 59%).

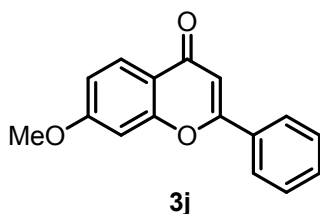
^1H NMR (400 MHz, DMSO- d_6) δ 10.85 (s, 1H), 8.08 (dd, J = 7.7, 2.0 Hz, 2H), 7.90 (d, J = 8.7 Hz, 1H), 7.62 – 7.55 (m, 3H), 7.02 (d, J = 2.3 Hz, 1H), 6.95 (dd, J = 8.7, 2.3 Hz, 1H), 6.92 (s, 1H).

^{13}C NMR (126 MHz, DMSO- d_6) δ 176.95, 163.33, 162.47, 158.05, 132.09, 131.85, 129.63, 127.09, 126.72, 116.71, 115.62, 107.18, 103.10.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{11}\text{O}_3$ 239.0708; Found 239.0708.

The characterization of the compound matched up with the data previously reported.⁵

7-methoxy-2-phenyl-4H-chromen-4-one **3j**



White solid (42.1 mg, 83%).

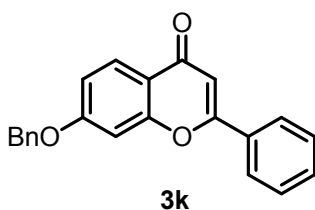
^1H NMR (400 MHz, Chloroform- d) δ 8.13 (d, J = 8.7 Hz, 1H), 7.94 – 7.84 (m, 2H), 7.57 – 7.46 (m, 3H), 7.02 – 6.93 (m, 2H), 6.76 (s, 1H), 3.93 (s, 3H).

^{13}C NMR (126 MHz, Chloroform- d) δ 177.97, 164.28, 163.09, 158.09, 131.93, 131.52, 129.10, 127.13, 126.24, 117.91, 114.53, 107.61, 100.49, 55.94.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{13}\text{O}_3$ 253.0865; Found 253.0856.

The characterization of the compound matched up with the data previously reported.¹

7-(benzyloxy)-2-phenyl-4H-chromen-4-one **3k**



White solid (44.2 mg, 77%).

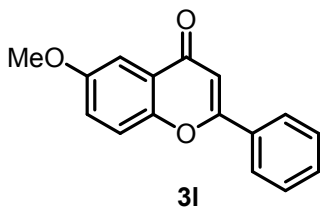
^1H NMR (400 MHz, Chloroform- d) δ 8.16 (d, J = 8.6 Hz, 1H), 7.95 – 7.86 (m, 2H), 7.57 – 7.50 (m, 3H), 7.49 – 7.37 (m, 5H), 7.12 – 7.03 (m, 2H), 6.77 (s, 1H), 5.20 (s, 2H).

^{13}C NMR (126 MHz, Chloroform- d) δ 177.99, 163.36, 163.19, 158.03, 135.82, 131.93, 131.55, 129.12, 128.89, 128.53, 127.63, 127.25, 126.29, 118.12, 115.05, 107.66, 101.59, 70.64.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{22}\text{H}_{17}\text{O}_3$ 329.1178; Found 329.1168.

The characterization of the compound matched up with the data previously reported.⁶

6-methoxy-2-phenyl-4H-chromen-4-one **3l**



White solid (34 mg, 79%).

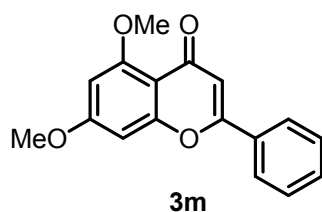
^1H NMR (400 MHz, Chloroform- d) δ 7.98 – 7.89 (m, 2H), 7.61 (d, J = 3.1 Hz, 1H), 7.57 – 7.49 (m, 4H), 7.30 (dd, J = 9.1, 3.1 Hz, 1H), 6.84 (s, 1H), 3.92 (s, 3H).

^{13}C NMR (126 MHz, Chloroform- d) δ 178.45, 163.28, 157.11, 151.19, 131.97, 131.61, 129.13, 126.35, 124.66, 123.94, 119.63, 106.94, 104.90, 56.04.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{13}\text{O}_3$ 253.0865; Found 253.0851.

The characterization of the compound matched up with the data previously reported.¹

5,7-dimethoxy-2-phenyl-4*H*-chromen-4-one **3m**



White solid (38 mg, 71%).

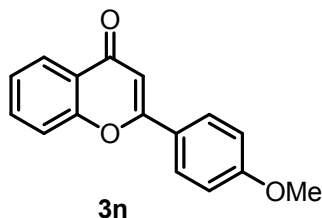
¹H NMR (400 MHz, Chloroform-*d*) δ 7.92 – 7.84 (m, 2H), 7.56 – 7.45 (m, 3H), 6.70 (s, 1H), 6.59 (d, *J* = 2.2 Hz, 1H), 6.39 (d, *J* = 2.2 Hz, 1H), 3.97 (s, 3H), 3.92 (s, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 177.73, 164.15, 160.99, 160.71, 160.01, 131.62, 131.28, 129.03, 126.02, 109.39, 109.16, 96.27, 92.90, 56.53, 55.86.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₇H₁₅O₄ 283.0970; Found 283.0947.

The characterization of the compound matched up with the data previously reported.¹

2-(4-methoxyphenyl)-4*H*-chromen-4-one **3n**



White solid (46.6 mg, 80%).

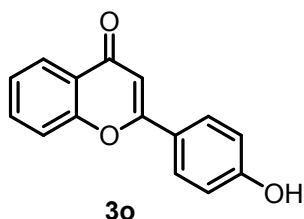
¹H NMR (400 MHz, Chloroform-*d*) δ 8.23 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.94 – 7.86 (m, 2H), 7.69 (ddd, *J* = 8.7, 7.1, 1.7 Hz, 1H), 7.56 (dd, *J* = 8.5, 1.2 Hz, 1H), 7.42 (ddd, *J* = 8.1, 7.1, 1.1 Hz, 1H), 7.08 – 7.00 (m, 2H), 6.76 (s, 1H), 3.90 (s, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 178.49, 163.50, 162.50, 156.27, 133.67, 128.09, 125.74, 125.17, 124.09, 124.02, 118.06, 114.55, 106.25, 55.60.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₆H₁₃O₃ 253.0865; Found 253.0853.

The characterization of the compound matched up with the data previously reported.¹

2-(4-hydroxyphenyl)-4*H*-chromen-4-one **3o**



Yellow solid (23 mg, 47% isolated yield), 72% yield determined by ¹H NMR analysis using 1,3,5-trimethoxybenzene as an internal standard.

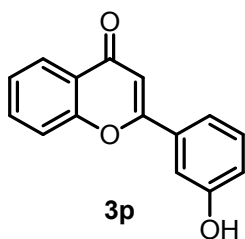
¹H NMR (400 MHz, DMSO-*d*₆) δ 10.35 (s, 1H), 8.04 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.98 (d, *J* = 8.8 Hz, 2H), 7.82 (ddd, *J* = 8.6, 7.1, 1.6 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.53 – 7.45 (m, 1H), 6.96 (d, *J* = 8.8 Hz, 2H), 6.89 (s, 1H).

¹³C NMR (126 MHz, DMSO-*d*₆) δ 177.42, 163.60, 161.52, 156.12, 134.57, 128.91, 125.85, 125.27, 123.85, 122.11, 118.90, 116.48, 105.34.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₁O₃ 239.0708; Found 239.0703.

The characterization of the compound matched up with the data previously reported.⁷

2-(3-hydroxyphenyl)-4*H*-chromen-4-one **3p**



Yellow solid (65.3 mg, 95%).

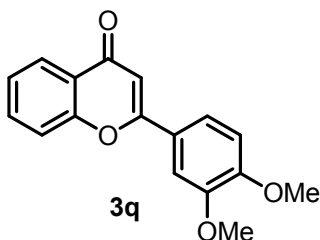
^1H NMR (400 MHz, DMSO- d_6) δ 9.91 (s, 1H), 8.06 (dd, J = 7.9, 1.6 Hz, 1H), 7.84 (ddd, J = 8.6, 7.0, 1.7 Hz, 1H), 7.78 (d, J = 8.4 Hz, 1H), 7.57 – 7.47 (m, 2H), 7.45 (t, J = 2.1 Hz, 1H), 7.38 (t, J = 7.9 Hz, 1H), 7.01 (ddd, J = 8.1, 2.5, 1.0 Hz, 1H), 6.94 (s, 1H).

^{13}C NMR (126 MHz, DMSO- d_6) δ 177.62, 163.25, 158.45, 156.20, 134.86, 132.97, 130.80, 126.06, 125.34, 123.88, 119.39, 119.03, 117.74, 113.38, 107.45.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{11}\text{O}_3$ 239.0708; Found 239.0694.

The characterization of the compound matched up with the data previously reported.⁸

2-(3,4-dimethoxyphenyl)-4H-chromen-4-one **3q**



Pale yellow solid (69 mg, 87%).

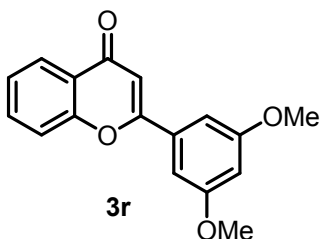
^1H NMR (400 MHz, Chloroform- d) δ 8.24 (dd, J = 7.9, 1.7 Hz, 1H), 7.70 (ddd, J = 8.7, 7.1, 1.7 Hz, 1H), 7.59 (d, J = 2.1 Hz, 1H), 7.57 (d, J = 2.2 Hz, 1H), 7.47 – 7.38 (m, 2H), 7.00 (d, J = 8.5 Hz, 1H), 6.77 (s, 1H), 4.00 (s, 3H), 3.98 (s, 3H).

^{13}C NMR (126 MHz, Chloroform- d) δ 178.47, 163.47, 156.28, 152.16, 149.36, 133.71, 125.76, 125.25, 124.32, 124.01, 120.11, 118.08, 111.23, 108.88, 106.57, 56.18, 56.17.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{15}\text{O}_4$ 283.0970; Found 283.0953.

The characterization of the compound matched up with the data previously reported.¹

2-(3,5-dimethoxyphenyl)-4H-chromen-4-one **3r**



Brown solid (55.6 mg, 70%).

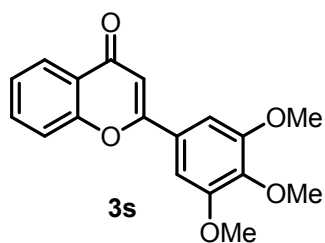
^1H NMR (400 MHz, Chloroform- d) δ 8.22 (d, J = 7.9 Hz, 1H), 7.70 (t, 1H), 7.57 (d, J = 8.4 Hz, 1H), 7.43 (t, J = 7.4 Hz, 1H), 7.04 (d, J = 2.3 Hz, 2H), 6.80 (s, 1H), 6.62 (s, 1H), 3.87 (s, 6H).

^{13}C NMR (126 MHz, Chloroform- d) δ 178.58, 163.27, 161.27, 156.31, 133.91, 133.76, 125.77, 125.36, 124.05, 118.23, 108.03, 104.54, 103.58, 55.68.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{15}\text{O}_4$ 283.0970; Found 283.0945.

The characterization of the compound matched up with the data previously reported.⁹

2-(3,4,5-trimethoxyphenyl)-4H-chromen-4-one **3s**



Yellow solid (59.5 mg, 75%).

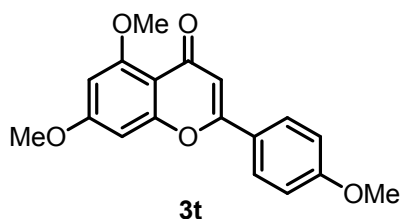
^1H NMR (400 MHz, Chloroform-*d*) δ 8.24 (dd, J = 7.9, 1.7 Hz, 1H), 7.72 (ddd, J = 8.7, 7.1, 1.7 Hz, 1H), 7.60 (dd, J = 8.4, 1.1 Hz, 1H), 7.44 (ddd, J = 8.1, 7.1, 1.1 Hz, 1H), 7.15 (s, 2H), 6.79 (s, 1H), 3.97 (s, 6H), 3.94 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.47, 163.35, 156.29, 153.67, 141.26, 133.86, 127.09, 125.79, 125.39, 123.99, 118.16, 107.47, 103.79, 61.15, 56.43.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{17}\text{O}_5$ 313.1076; Found 313.1056.

The characterization of the compound matched up with the data previously reported.⁶

5,7-dimethoxy-2-(4-methoxyphenyl)-4H-chromen-4-one **3t**



Yellow oil (62 mg, 79%).

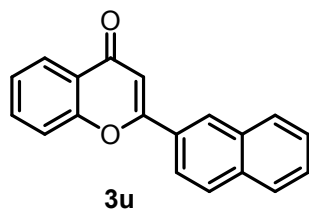
^1H NMR (400 MHz, Chloroform-*d*) δ 7.87 – 7.78 (m, 2H), 7.04 – 6.96 (m, 2H), 6.60 (s, 1H), 6.56 (d, J = 2.3 Hz, 1H), 6.38 (d, J = 2.3 Hz, 1H), 3.96 (s, 3H), 3.91 (s, 3H), 3.88 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.79, 163.99, 162.12, 160.90, 160.76, 159.89, 127.66, 123.83, 114.40, 109.22, 107.66, 96.14, 92.87, 56.48, 55.83, 55.55.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{17}\text{O}_5$ 313.1076; Found 313.1054.

The characterization of the compound matched up with the data previously reported.¹

2-(naphthalen-2-yl)-4H-chromen-4-one **3u**



Brown solid (35 mg, 72%).

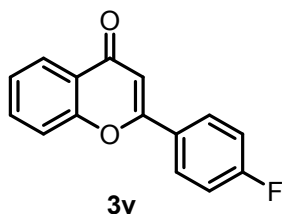
^1H NMR (400 MHz, Chloroform-*d*) δ 8.51 (s, 1H), 8.27 (dd, J = 7.9, 1.7 Hz, 1H), 8.03 – 7.88 (m, 4H), 7.74 (ddd, J = 8.7, 7.1, 1.7 Hz, 1H), 7.66 (dd, J = 8.5, 1.1 Hz, 1H), 7.64 – 7.56 (m, 2H), 7.45 (ddd, J = 7.9, 7.0, 1.1 Hz, 1H), 6.98 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.57, 163.43, 156.44, 134.76, 133.92, 133.00, 129.16, 129.05, 129.00, 128.14, 127.94, 127.19, 127.03, 125.84, 125.36, 124.12, 122.62, 118.23, 107.99.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{13}\text{O}_2$ 273.0916; Found 273.0887.

The characterization of the compound matched up with the data previously reported.⁴

2-(4-fluorophenyl)-4H-chromen-4-one **3v**



White solid (25 mg, 78%).

^1H NMR (400 MHz, Chloroform-*d*) δ 8.24 (dd, $J = 7.9, 1.7$ Hz, 1H), 8.00 – 7.90 (m, 2H), 7.72 (ddd, $J = 8.6, 7.1, 1.7$ Hz, 1H), 7.57 (d, $J = 8.0$ Hz, 1H), 7.48 – 7.40 (m, 1H), 7.23 (t, $J = 8.6$ Hz, 2H), 6.78 (s, 1H).

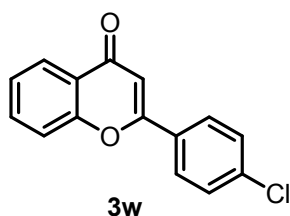
^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.41, 164.86 (d, $J = 253.3$ Hz), 162.51, 156.27, 133.96, 128.60 (d, $J = 8.9$ Hz), 128.07 (d, $J = 3.2$ Hz), 125.84, 125.43, 123.95, 118.12, 116.41 (d, $J = 22.2$ Hz), 107.46.

^{19}F NMR (471 MHz, Chloroform-*d*) δ -107.33.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{F}_1\text{O}_2$ 241.0665; Found 241.0650.

The characterization of the compound matched up with the data previously reported.¹

2-(4-chlorophenyl)-4H-chromen-4-one **3w**



White solid (36 mg, 75%).

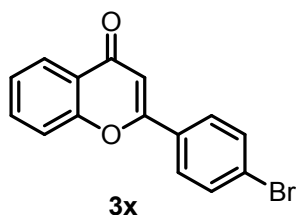
^1H NMR (400 MHz, Chloroform-*d*) δ 8.24 (dd, $J = 7.9, 1.7$ Hz, 1H), 7.92 – 7.84 (m, 2H), 7.72 (ddd, $J = 8.7, 7.1, 1.7$ Hz, 1H), 7.58 (dd, $J = 8.5, 0.6$ Hz, 1H), 7.55 – 7.49 (m, 2H), 7.44 (ddd, $J = 8.1, 7.1, 1.1$ Hz, 1H), 6.81 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.39, 162.33, 156.27, 138.00, 134.04, 130.34, 129.49, 127.65, 125.85, 125.50, 124.00, 118.15, 107.79.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{Cl}_1\text{O}_2$ 257.0369; Found 257.0353.

The characterization of the compound matched up with the data previously reported.¹

2-(4-bromophenyl)-4H-chromen-4-one **3x**



White solid (34 mg, 75%).

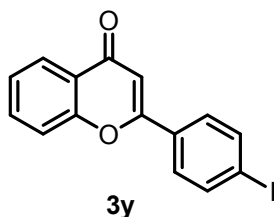
^1H NMR (400 MHz, Chloroform-*d*) δ 8.24 (dd, $J = 7.9, 1.7$ Hz, 1H), 7.81 (d, $J = 8.7$ Hz, 2H), 7.72 (ddd, $J = 8.7, 7.1, 1.7$ Hz, 1H), 7.68 (d, $J = 8.7$ Hz, 2H), 7.58 (d, $J = 8.1$ Hz, 1H), 7.49 – 7.40 (m, 1H), 6.81 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.39, 162.40, 156.26, 134.05, 132.46, 130.80, 127.81, 126.43, 125.86, 125.51, 124.01, 118.16, 107.80.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{Br}_1\text{O}_2$ 300.9864; Found 300.9838.

The characterization of the compound matched up with the data previously reported.¹

2-(4-iodophenyl)-4H-chromen-4-one **3y**



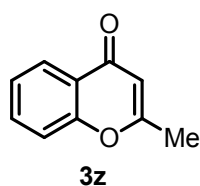
White solid (36 mg, 65%).

^1H NMR (400 MHz, Chloroform-*d*) δ 8.24 (dd, $J = 7.9, 1.8$ Hz, 1H), 7.89 (d, $J = 8.6$ Hz, 2H), 7.72 (ddd, $J = 8.7, 7.2, 1.7$ Hz, 1H), 7.66 (d, $J = 8.6$ Hz, 2H), 7.57 (d, $J = 8.4$ Hz, 1H), 7.49 – 7.40 (m, 1H), 6.82 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.39, 162.55, 156.26, 138.42, 134.05, 131.38, 127.78, 125.85, 125.51, 124.03, 118.18, 107.79, 98.62.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{10}\text{I}_1\text{O}_2$ 348.9726; Found 348.9700.

2-methyl-4*H*-chromen-4-one **3z**



Yellow solid (15 mg, 30%). This compound was synthesized from 1-(2-hydroxyphenyl)butan-1-one¹⁰.

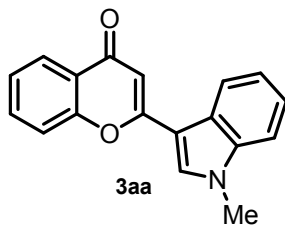
^1H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, $J = 7.9$ Hz, 1H), 7.69 – 7.60 (m, 1H), 7.42 (d, $J = 8.5$ Hz, 1H), 7.38 (t, $J = 7.5$ Hz, 1H), 6.18 (s, 1H), 2.39 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.38, 166.30, 156.57, 133.55, 125.74, 125.02, 123.64, 117.88, 110.67, 20.72.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{10}\text{H}_9\text{O}_2$ 161.0603; Found 161.0595.

The characterization of the compound matched up with the data previously reported.¹¹

2-(1-methyl-1*H*-indol-3-yl)-4*H*-chromen-4-one **3aa**



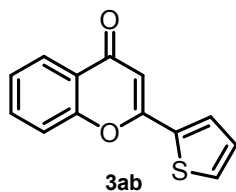
Yellow solid (27 mg, 32%). This compound was synthesized from 1-(2-hydroxyphenyl)-3-(1-methyl-1*H*-indol-3-yl)propan-1-one¹².

^1H NMR (400 MHz, Chloroform-*d*) δ 8.23 (dd, $J = 7.9, 1.7$ Hz, 1H), 8.15 – 8.08 (m, 1H), 7.76 (s, 1H), 7.65 (ddd, $J = 8.7, 7.1, 1.7$ Hz, 1H), 7.52 (dd, $J = 8.5, 1.1$ Hz, 1H), 7.41 – 7.29 (m, 4H), 6.74 (s, 1H), 3.89 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.12, 162.14, 156.16, 137.85, 133.25, 131.28, 125.69, 124.93, 124.84, 124.23, 123.29, 122.08, 120.94, 117.74, 110.40, 108.35, 105.36, 33.67.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{14}\text{N}_1\text{O}_2$ 276.1025; Found 276.1018.

2-(thiophen-2-yl)-4*H*-chromen-4-one **3ab**



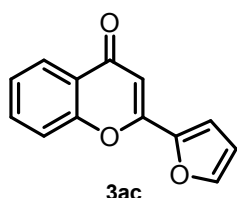
Yellow solid (37 mg, 54%).

^1H NMR (400 MHz, Chloroform-*d*) δ 8.20 (dd, $J = 7.9, 1.6$ Hz, 1H), 7.71 (dd, $J = 3.8, 1.2$ Hz, 1H), 7.68 (ddd, $J = 8.7, 7.1, 1.7$ Hz, 1H), 7.57 (dd, $J = 5.0, 1.2$ Hz, 1H), 7.54 – 7.48 (m, 1H), 7.40 (ddd, $J = 8.1, 7.1, 1.1$ Hz, 1H), 7.17 (dd, $J = 5.0, 3.8$ Hz, 1H), 6.69 (s, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.00, 159.11, 155.98, 135.21, 133.85, 130.39, 128.61, 128.56, 125.75, 125.36, 124.05, 118.04, 106.25.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{13}\text{H}_9\text{O}_2\text{S}_1$ 229.0323; Found 229.0336.

2-(furan-2-yl)-4*H*-chromen-4-one **3ac**



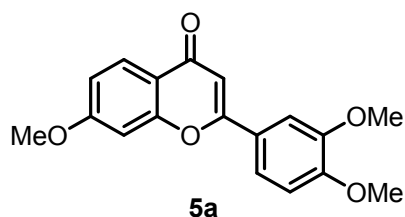
Yellow solid (9.5 mg, 15%).

^1H NMR (500 MHz, Chloroform-*d*) δ 8.22 (dd, $J = 7.9, 1.7$ Hz, 1H), 7.68 (ddd, $J = 8.6, 7.1, 1.7$ Hz, 1H), 7.64 (dd, $J = 1.8, 0.8$ Hz, 1H), 7.50 (dd, $J = 8.5, 1.0$ Hz, 1H), 7.41 (ddd, $J = 8.1, 7.1, 1.1$ Hz, 1H), 7.15 (dd, $J = 3.6, 0.8$ Hz, 1H), 6.75 (s, 1H), 6.62 (dd, $J = 3.5, 1.8$ Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.96, 155.90, 155.27, 146.49, 145.91, 133.84, 125.87, 125.30, 124.31, 117.99, 113.16, 112.63, 105.60.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{13}\text{H}_9\text{O}_3$ 213.0552; Found 213.0571.

2-(3,4-dimethoxyphenyl)-7-methoxy-4*H*-chromen-4-one (Geraldone dimethyl ether) **5a**



White solid (30 mg, 88%). This compound was synthesized from **1aa**.

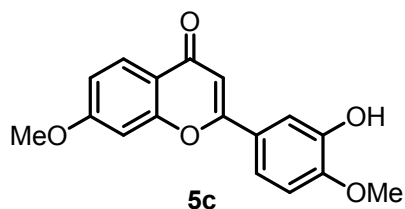
^1H NMR (400 MHz, Chloroform-*d*) δ 8.14 (d, $J = 8.6$ Hz, 1H), 7.56 (dd, $J = 8.4, 2.2$ Hz, 1H), 7.38 (d, $J = 2.2$ Hz, 1H), 7.03 – 6.95 (m, 3H), 6.71 (s, 1H), 4.00 (s, 3H), 3.97 (s, 3H), 3.95 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 177.92, 164.17, 163.10, 157.99, 151.98, 149.32, 127.09, 124.41, 119.90, 117.86, 114.34, 111.19, 108.78, 106.50, 100.51, 56.17, 55.95.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{17}\text{O}_5$ 313.1076; Found 313.1063.

The characterization of the compound matched up with the data previously reported.¹³

2-(4-iodophenyl)-4*H*-chromen-4-one (Tithonine) **5c**



White solid (25 mg, 70%). This compound was synthesized from **1ab**.

^1H NMR (400 MHz, Chloroform-*d*) δ 8.12 (d, $J = 8.7$ Hz, 1H), 7.51 (d, $J = 2.3$ Hz, 1H), 7.44 (dd, $J = 8.5, 2.3$ Hz, 1H), 7.01 – 6.91 (m, 3H), 6.68 (s, 1H), 6.25 (s, 1H), 3.97 (s, 3H), 3.93 (s, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 178.09, 164.19, 163.05, 158.02, 149.44, 146.10, 127.10, 125.07, 119.02, 117.86, 114.40, 112.44, 110.79, 106.50, 100.44, 56.19, 55.93, 29.79.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{15}\text{O}_5$ 299.0920; Found 299.0904.

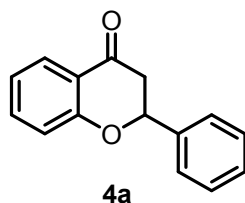
The characterization of the compound matched up with the data previously reported.¹⁴

2.2 Synthesis of flavanone derivatives (4a-4r and 5b)

2.2.1 General procedure for the synthesis of flavanones from 2'-hydroxydihydrochalcones 1

A mixture of 2'-hydroxydihydrochalcones **1** (50 mg, 0.221 mmol), Pd(TFA)₂ (7.3 mg, 0.022 mmol), Cu(OAc)₂ (40.1 mg, 0.221 mmol) in DMSO (0.1 M, 2.2 mL) was stirred in a 10-mL round-bottomed flask under an Ar atmosphere, the reaction mixture was heated at 100 °C in oil bath until complete conversion of dihydrochalcone to chalcone on TLC. Then, the reaction flask was fitted with a reflux condenser and a solution of aq. HCl (2 N, 20 mL) and EtOAc (10 mL) was added to the flask. The reaction mixture was stirred for 24 h. After cooling, water was added and the mixture was extracted with EtOAc. The combined organic layers were dried with MgSO₄, filtered, and concentrated in vacuo. The residue was purified by chromatography on silica gel column.

2-phenylchroman-4-one **4a**



White solid (46.2 mg, 79%).

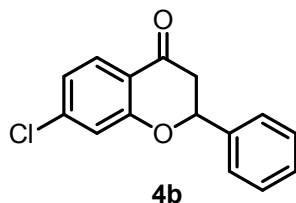
¹H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.1 Hz, 1H), 7.54 – 7.38 (m, 6H), 7.10 – 7.02 (m, 2H), 5.49 (dd, *J* = 13.4, 2.9 Hz, 1H), 3.10 (dd, *J* = 16.9, 13.4 Hz, 1H), 2.90 (dd, *J* = 16.9, 2.9 Hz, 1H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 192.10, 161.67, 138.85, 136.33, 128.98, 128.91, 127.17, 126.28, 121.75, 121.04, 118.26, 79.71, 44.78.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₃O₂ 225.0916; Found 225.0916.

The characterization of the compound matched up with the data previously reported.¹⁵

7-chloro-2-phenylchroman-4-one **4b**



Brown oil (24 mg, 69%).

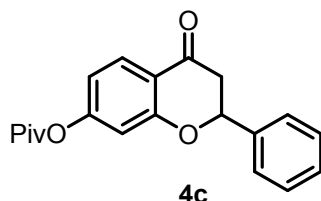
¹H NMR (400 MHz, Chloroform-*d*) δ 7.87 (d, *J* = 8.5 Hz, 1H), 7.49 – 7.39 (m, 5H), 7.09 (d, *J* = 1.9 Hz, 1H), 7.04 (dd, *J* = 8.5, 1.9 Hz, 1H), 5.50 (dd, *J* = 13.1, 2.9 Hz, 1H), 3.09 (dd, *J* = 16.9, 13.1 Hz, 1H), 2.91 (dd, *J* = 16.8, 2.9 Hz, 1H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 191.00, 161.94, 142.16, 138.31, 129.07, 129.02, 128.40, 126.24, 122.56, 119.60, 118.42, 80.11, 44.45.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₂ClO₂ 259.0526; Found 259.0489.

The characterization of the compound matched up with the data previously reported.¹⁶

4-oxo-2-phenylchroman-7-yl pivalate **4c**



Yellow oil (21.2 mg, 32%).

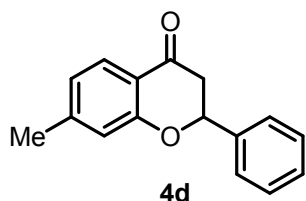
$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.96 (d, $J = 8.5$ Hz, 1H), 7.51 – 7.37 (m, 5H), 6.84 – 6.74 (m, 2H), 5.51 (dd, $J = 13.2, 2.9$ Hz, 1H), 3.08 (dd, $J = 16.9, 13.3$ Hz, 1H), 2.90 (dd, $J = 16.9, 3.0$ Hz, 1H), 1.35 (s, 9H).

$^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 191.06, 176.40, 162.57, 157.36, 138.57, 128.97, 128.94, 128.55, 126.20, 118.78, 115.80, 111.21, 80.05, 44.53, 39.34, 27.12.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{21}\text{O}_4$ 325.1440; Found 325.1436.

The characterization of the compound matched up with the data previously reported.¹⁵

7-methyl-2-phenylchroman-4-one **4d**



Yellow solid (30.5 mg, 68%).

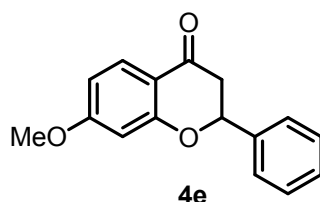
$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.83 (d, $J = 8.2$ Hz, 1H), 7.51 – 7.38 (m, 5H), 6.91 – 6.85 (m, 2H), 5.47 (dd, $J = 13.3, 2.9$ Hz, 1H), 3.07 (dd, $J = 16.9, 13.3$ Hz, 1H), 2.87 (dd, $J = 16.9, 3.0$ Hz, 1H), 2.38 (s, 3H).

$^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 191.82, 161.70, 147.90, 138.99, 128.94, 128.82, 127.04, 126.25, 123.11, 118.80, 118.23, 79.68, 44.71, 22.08.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{15}\text{O}_2$ 239.1072; Found 239.1070.

The characterization of the compound matched up with the data previously reported.¹⁷

7-methoxy-2-phenylchroman-4-one **4e**



Yellow solid (51.6 mg, 74%).

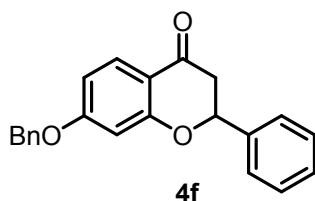
$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.88 (d, $J = 8.8$ Hz, 1H), 7.51 – 7.38 (m, 5H), 6.63 (dd, $J = 8.8, 2.4$ Hz, 1H), 6.51 (d, $J = 2.4$ Hz, 1H), 5.48 (dd, $J = 13.4, 3.0$ Hz, 1H), 3.84 (s, 3H), 3.05 (dd, $J = 16.9, 13.3$ Hz, 1H), 2.84 (dd, $J = 16.9, 3.0$ Hz, 1H).

$^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 190.74, 166.31, 163.64, 138.89, 128.96, 128.88, 126.27, 114.93, 110.40, 101.01, 80.12, 55.76, 44.43.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{15}\text{O}_3$ 255.1021; Found 255.1016.

The characterization of the compound matched up with the data previously reported.¹⁵

7-(benzyloxy)-2-phenylchroman-4-one **4f**



Yellow solid (26 mg, 74%).

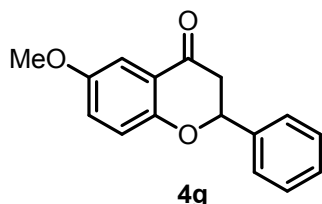
^1H NMR (400 MHz, Chloroform-*d*) δ 7.88 (d, J = 8.8 Hz, 1H), 7.51 – 7.34 (m, 10H), 6.70 (dd, J = 8.8, 2.3 Hz, 1H), 6.58 (d, J = 2.3 Hz, 1H), 5.47 (dd, J = 13.3, 2.9 Hz, 1H), 5.10 (s, 2H), 3.05 (dd, J = 16.9, 13.3 Hz, 1H), 2.83 (dd, J = 16.9, 2.9 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 190.71, 165.37, 163.58, 138.86, 135.95, 128.96, 128.92, 128.89, 128.82, 128.42, 127.58, 126.28, 115.10, 110.95, 102.00, 80.12, 70.39, 44.44.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{22}\text{H}_{19}\text{O}_3$ 331.1334; Found 331.1349.

The characterization of the compound matched up with the data previously reported.¹⁸

6-methoxy-2-phenylchroman-4-one **4g**



Yellow solid (37 mg, 81%).

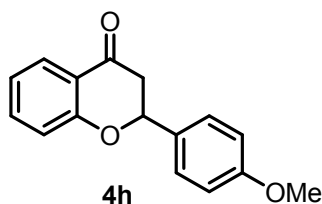
^1H NMR (400 MHz, Chloroform-*d*) δ 7.53 – 7.33 (m, 6H), 7.13 (dd, J = 9.0, 3.2 Hz, 1H), 7.00 (d, J = 9.0 Hz, 1H), 5.45 (dd, J = 13.4, 2.9 Hz, 1H), 3.83 (s, 3H), 3.08 (dd, J = 17.0, 13.5 Hz, 1H), 2.88 (dd, J = 16.9, 2.9 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 192.19, 156.38, 154.33, 138.94, 128.94, 128.85, 126.25, 125.52, 120.85, 119.55, 107.42, 79.82, 55.91, 44.68.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{15}\text{O}_3$ 255.1021; Found 255.1011.

The characterization of the compound matched up with the data previously reported.¹⁵

2-(4-methoxyphenyl)chroman-4-one **4h**



Yellow solid (37 mg, 75%).

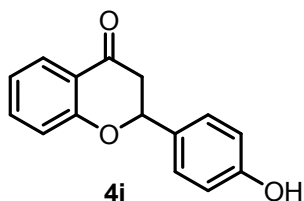
^1H NMR (400 MHz, Chloroform-*d*) δ 7.93 (dd, J = 7.7, 2.0 Hz, 1H), 7.55 – 7.46 (m, 1H), 7.42 (d, J = 8.8 Hz, 2H), 7.09 – 7.00 (m, 2H), 6.96 (d, J = 8.7 Hz, 2H), 5.43 (dd, J = 13.4, 2.8 Hz, 1H), 3.83 (s, 3H), 3.11 (dd, J = 16.8, 13.4 Hz, 1H), 2.86 (dd, J = 17.0, 2.8 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 192.37, 161.74, 160.07, 136.28, 130.85, 127.85, 127.14, 121.64, 121.00, 118.25, 114.30, 79.45, 55.46, 44.56.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{15}\text{O}_3$ 255.1021; Found 255.1010.

The characterization of the compound matched up with the data previously reported.¹⁵

2-(4-hydroxyphenyl)chroman-4-one **4i**



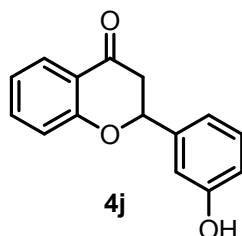
Yellow solid (33 mg, 67%).

^1H NMR (400 MHz, DMSO-*d*₆) δ 9.60 (s, 1H), 7.79 (dd, J = 7.8, 1.8 Hz, 1H), 7.58 (ddd, J = 8.5, 7.2, 1.8 Hz, 1H), 7.35 (d, J = 8.5 Hz, 2H), 7.12 – 7.03 (m, 2H), 6.80 (d, J = 8.6 Hz, 2H), 5.53 (dd, J = 13.1, 2.8 Hz, 1H), 3.28 (dd, J = 16.9, 13.2 Hz, 1H), 2.74 (dd, J = 16.8, 2.8 Hz, 1H).

^{13}C NMR (126 MHz, DMSO-*d*₆) δ 192.54, 161.80, 158.24, 136.76, 129.63, 128.89, 126.84, 121.84, 121.14, 118.58, 115.69, 79.36, 43.90.

HRMS (ESI+) m/z : $[M+H]^+$ Calcd for $C_{15}H_{13}O_3$ 241.0865; Found 241.0868.
The characterization of the compound matched up with the data previously reported.¹⁹

2-(3-hydroxyphenyl)chroman-4-one **4j**



Yellow solid (48.7 mg, 70%).

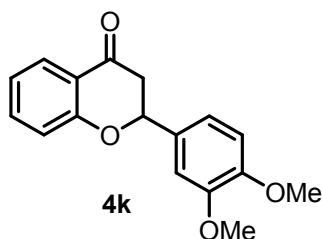
1H NMR (400 MHz, Chloroform-*d*) δ 7.94 (dd, J = 8.0, 1.8 Hz, 1H), 7.57 – 7.48 (m, 1H), 7.31 (t, J = 7.9 Hz, 2H), 7.11 – 6.97 (m, 4H), 6.94 – 6.82 (m, 1H), 5.45 (dd, J = 13.2, 3.0 Hz, 1H), 5.08 (s, 1H), 3.07 (dd, J = 16.9, 13.2 Hz, 1H), 2.90 (dd, J = 16.9, 2.9 Hz, 1H).

^{13}C NMR (126 MHz, DMSO-*d*₆) δ 192.13, 161.59, 158.02, 140.88, 136.83, 130.14, 126.85, 121.96, 121.22, 118.58, 117.58, 115.89, 113.94, 79.23, 44.10.

HRMS (ESI+) m/z : $[M+H]^+$ Calcd for $C_{15}H_{13}O_3$ 241.0865; Found 241.0863.

The characterization of the compound matched up with the data previously reported.¹⁵

2-(3,4-dimethoxyphenyl)chroman-4-one **4k**



Yellow solid (55 mg, 69%).

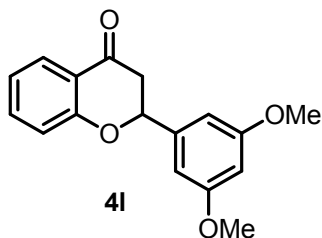
1H NMR (400 MHz, Chloroform-*d*) δ 7.94 (dd, J = 8.1, 1.7 Hz, 1H), 7.57 – 7.47 (m, 1H), 7.11 – 6.98 (m, 4H), 6.91 (d, J = 8.3 Hz, 1H), 5.44 (dd, J = 13.3, 2.8 Hz, 1H), 3.93 (s, 3H), 3.91 (s, 3H), 3.13 (dd, J = 16.9, 13.3 Hz, 1H), 2.88 (dd, J = 16.9, 2.8 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 192.27, 161.65, 149.52, 149.35, 136.30, 131.25, 127.14, 121.70, 121.01, 118.93, 118.24, 111.21, 109.48, 79.67, 56.08, 56.05, 44.68.

HRMS (ESI+) m/z : $[M+H]^+$ Calcd for $C_{17}H_{17}O_4$ 285.1127; Found 285.1119.

The characterization of the compound matched up with the data previously reported.¹⁵

2-(3,5-dimethoxyphenyl)chroman-4-one **4l**



Yellow oil (30.7 mg, 48%).

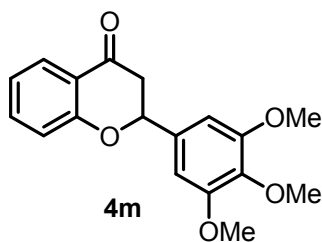
1H NMR (400 MHz, Chloroform-*d*) δ 7.93 (dd, J = 8.2, 1.7 Hz, 1H), 7.57 – 7.48 (m, 1H), 7.11 – 7.03 (m, 2H), 6.63 (d, J = 2.3 Hz, 2H), 6.47 (t, J = 2.2 Hz, 1H), 5.42 (dd, J = 13.3, 2.9 Hz, 1H), 3.83 (s, 6H), 3.07 (dd, J = 16.9, 13.3 Hz, 1H), 2.89 (dd, J = 16.9, 2.9 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 192.06, 161.56, 161.24, 141.15, 136.34, 127.15, 121.77, 121.02, 118.26, 104.24, 100.49, 79.66, 55.54, 44.86.

HRMS (ESI+) m/z : $[M+H]^+$ Calcd for $C_{17}H_{17}O_4$ 285.1127; Found 285.1119.

The characterization of the compound matched up with the data previously reported.²⁰

2-(3,4,5-trimethoxyphenyl)chroman-4-one **4m**



Yellow solid (36 mg, 52%).

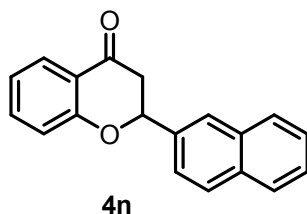
^1H NMR (400 MHz, Chloroform-*d*) δ 7.94 (dd, J = 8.1, 1.8 Hz, 1H), 7.57 – 7.48 (m, 1H), 7.12 – 7.03 (m, 2H), 6.71 (s, 2H), 5.42 (dd, J = 13.5, 2.9 Hz, 1H), 3.90 (s, 6H), 3.87 (s, 3H), 3.10 (dd, J = 16.7, 13.3 Hz, 1H), 2.89 (dd, J = 16.9, 2.8 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 192.04, 161.53, 153.66, 138.30, 136.36, 134.39, 127.17, 121.84, 120.99, 118.23, 103.33, 79.96, 60.96, 56.29, 44.94.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{19}\text{O}_5$ 315.1233; Found 315.1225.

The characterization of the compound matched up with the data previously reported.¹⁵

2-(naphthalen-2-yl)chroman-4-one **4n**



Yellow solid (25.2 mg, 51%).

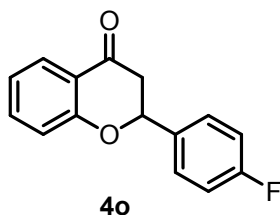
^1H NMR (400 MHz, Chloroform-*d*) δ 7.99 – 7.91 (m, 3H), 7.91 – 7.85 (m, 2H), 7.61 (d, J = 8.5 Hz, 1H), 7.57 – 7.50 (m, 3H), 7.14 – 7.04 (m, 2H), 5.67 (dd, J = 13.3, 2.5 Hz, 1H), 3.20 (dd, J = 16.9, 13.2 Hz, 1H), 2.99 (dd, J = 16.9, 2.9 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 192.06, 161.67, 136.38, 136.16, 133.48, 133.27, 128.92, 128.28, 127.89, 127.21, 126.68, 125.53, 123.78, 121.80, 121.09, 118.29, 79.81, 44.77.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{15}\text{O}_2$ 275.1072; Found 275.1052.

The characterization of the compound matched up with the data previously reported.¹⁷

2-(4-fluorophenyl)chroman-4-one **4o**



Yellow solid (16.2 mg, 65%).

^1H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, J = 8.0 Hz, 1H), 7.57 – 7.44 (m, 3H), 7.18 – 7.02 (m, 4H), 5.48 (dd, J = 13.2, 2.9 Hz, 1H), 3.07 (dd, J = 16.9, 13.3 Hz, 1H), 2.88 (dd, J = 16.8, 2.9 Hz, 1H).

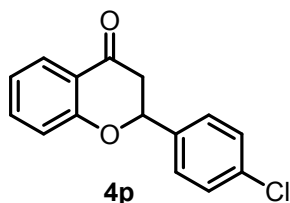
^{13}C NMR (126 MHz, Chloroform-*d*) δ 191.85, 162.93 (d, J = 247.7 Hz), 161.49, 136.40, 134.69 (d, J = 3.3 Hz), 128.14 (d, J = 8.3 Hz), 127.19, 121.87, 120.98, 118.19, 115.92 (d, J = 21.7 Hz), 79.03, 44.77.

^{19}F NMR (471 MHz, Chloroform-*d*) δ -112.68.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{12}\text{F}_1\text{O}_2$ 243.0821; Found 243.0817.

The characterization of the compound matched up with the data previously reported.¹⁵

2-(4-chlorophenyl)chroman-4-one **4p**



Yellow solid (9 mg, 22%).

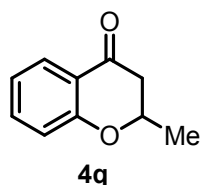
$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.94 (dd, $J = 7.8, 1.8$ Hz, 1H), 7.53 (ddd, $J = 8.1, 7.3, 1.8$ Hz, 1H), 7.47 – 7.38 (m, 4H), 7.12 – 7.02 (m, 2H), 5.47 (dd, $J = 13.2, 3.0$ Hz, 1H), 3.05 (dd, $J = 16.8, 13.2$ Hz, 1H), 2.89 (dd, $J = 16.8, 2.9$ Hz, 1H).

$^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 191.68, 161.41, 137.35, 136.43, 134.70, 129.16, 127.61, 127.20, 121.93, 120.98, 118.20, 78.92, 44.70.

HRMS (ESI+) m/z : $[M]^+$ Calcd for $\text{C}_{15}\text{H}_{11}\text{Cl}_1\text{O}_2$ 258.0448; Found 258.0393.

The characterization of the compound matched up with the data previously reported.¹⁵

2-methylchroman-4-one **4q**



Colorless oil (10 mg, 18%). This compound was synthesized from 1-(2-hydroxyphenyl)butan-1-one¹¹.

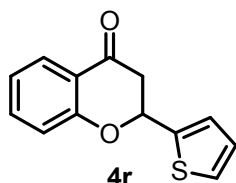
$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.89 (d, $J = 7.8$ Hz, 1H), 7.48 (t, $J = 7.8$ Hz, 1H), 7.06 – 6.94 (m, 2H), 4.67 – 4.54 (m, 1H), 2.69 (d, $J = 8.4$ Hz, 2H), 1.53 (d, $J = 6.2$ Hz, 3H).

$^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 192.64, 161.78, 136.10, 127.06, 121.31, 120.90, 117.98, 74.38, 44.69, 21.09.

HRMS (ESI+) m/z : $[M]^+$ Calcd for $\text{C}_{10}\text{H}_{11}\text{O}_2$ 163.0759; Found 163.0799.

The characterization of the compound matched up with the data previously reported.²¹

2-(thiophen-2-yl)chroman-4-one **4r**



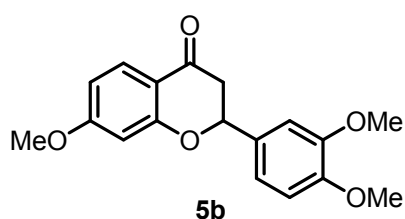
Yellow solid (20 mg, 29%).

$^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.92 (dd, $J = 8.3, 1.8$ Hz, 1H), 7.51 (ddd, $J = 8.2, 7.3, 1.8$ Hz, 1H), 7.37 (dd, $J = 5.1, 1.2$ Hz, 1H), 7.14 (dt, $J = 3.6, 1.1$ Hz, 1H), 7.08 – 7.01 (m, 3H), 5.75 (ddd, $J = 11.8, 3.5, 0.8$ Hz, 1H), 3.20 (dd, $J = 16.9, 11.8$ Hz, 1H), 3.07 (dd, $J = 16.8, 3.4$ Hz, 1H).

$^{13}\text{C NMR}$ (126 MHz, Chloroform-*d*) δ 191.35, 161.01, 141.54, 136.40, 127.11, 127.00, 126.54, 126.05, 121.94, 121.06, 118.33, 75.19, 44.43.

HRMS (ESI+) m/z : $[M]^+$ Calcd for $\text{C}_{13}\text{H}_{11}\text{O}_2\text{S}_1$ 231.0480; Found 231.0480.

2-(3,4-dimethoxyphenyl)-7-methoxychroman-4-one (Butin trimethyl ether) **5b**



Yellow solid (20 mg, 48%). This compound was synthesized from **1aa**.

^1H NMR (400 MHz, Chloroform-*d*) δ 7.87 (d, J = 8.8 Hz, 1H), 7.05 – 6.98 (m, 2H), 6.91 (d, J = 8.8 Hz, 1H), 6.62 (dd, J = 8.8, 2.4 Hz, 1H), 6.50 (d, J = 2.4 Hz, 1H), 5.42 (dd, J = 13.3, 2.8 Hz, 1H), 3.93 (s, 3H), 3.91 (s, 3H), 3.84 (s, 3H), 3.07 (dd, J = 17.0, 13.3 Hz, 1H), 2.82 (dd, J = 16.9, 3.0 Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 190.90, 166.28, 163.63, 149.51, 149.33, 131.30, 128.86, 118.95, 114.90, 111.19, 110.36, 109.45, 101.01, 80.10, 56.09, 56.05, 55.76, 44.36.

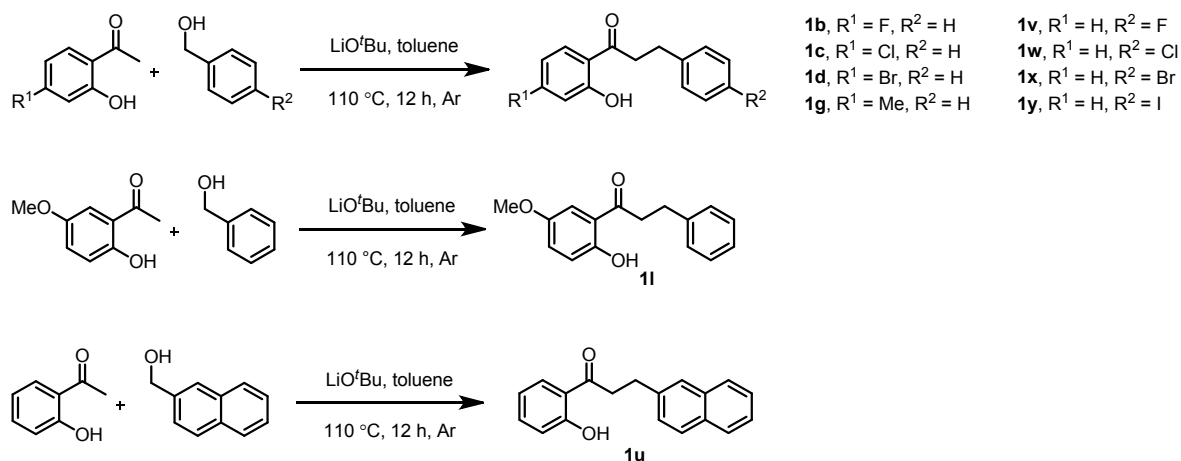
HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{19}\text{O}_5$ 315.1233; Found 315.1218.

The characterization of the compound matched up with the data previously reported.¹⁵

2.3. Preparation of 2'-hydroxydihydrochalcones **1**

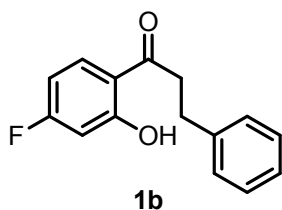
The starting materials **1n-1t**, **1aa**, and **1ab** were prepared by using the method reported in literature.²²

2.3.1 General procedure for the preparation of substrate **1b-1d**, **1g**, **1l**, and **1u-1y**²³



To an oven-dried round-bottom flask was added LiO^tBu (1 mmol, 80 mg), the ketones (0.5 mmol, 1 equiv), benzyl alcohol (0.75 mmol, 1.5 equiv). The flask was purged with argon and stirred at 80-110 °C for 12 h. After cooling, the reaction mixture was quenched by a saturated aq. NH₄Cl solution and extracted with EtOAc. The organic phase was combined and dried with anhydrous MgSO₄. The mixture was filtered and evaporated under reduced pressure. The residue was purified by silica gel flash column chromatography.

1-(4-fluoro-2-hydroxyphenyl)-3-phenylpropan-1-one **1b**



The compound was prepared using the **general procedure 2.3.1** described above.

White solid (130 mg, 27%).

¹H NMR (400 MHz, Chloroform-*d*) δ 12.63 (d, *J* = 1.5 Hz, 1H), 7.73 (dd, *J* = 8.9, 6.4 Hz, 1H), 7.35 – 7.27 (m, 2H), 7.25 – 7.18 (m, 3H), 6.66 (dd, *J* = 10.3, 2.5 Hz, 1H), 6.58 (ddd, *J* = 8.8, 8.1, 2.5 Hz, 1H), 3.28 (t, 2H), 3.06 (t, 2H).

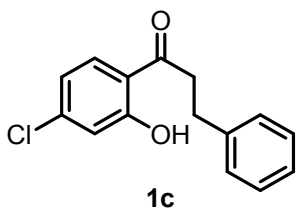
¹³C NMR (126 MHz, Chloroform-*d*) δ 204.31, 167.43 (d, *J* = 256.5 Hz), 165.13 (d, *J* = 14.7 Hz), 140.65, 132.26 (d, *J* = 12.1 Hz), 128.75, 128.49, 126.50, 116.55, 107.31 (d, *J* = 23.1 Hz), 105.18 (d, *J* = 23.9 Hz), 40.18, 30.08.

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -99.19.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₄F₁O₂ 245.0978; Found 245.0973.

The characterization of the compound matched up with the data previously reported.²⁴

1-(4-chloro-2-hydroxyphenyl)-3-phenylpropan-1-one **1c**



The compound was prepared using the **general procedure 2.3.1** described above.

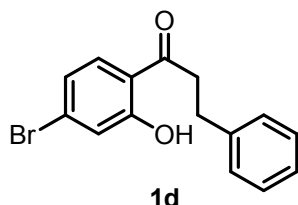
Yellow solid (90 mg, 17%).

^1H NMR (400 MHz, Chloroform-*d*) δ 12.43 (s, 1H), 7.66 (d, J = 8.7 Hz, 1H), 7.36 – 7.28 (m, 2H), 7.26 – 7.20 (m, 3H), 7.00 (d, J = 1.9 Hz, 1H), 6.86 (dd, J = 8.6, 1.9 Hz, 1H), 3.30 (t, 2H), 3.06 (t, J = 7.6 Hz, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 204.76, 163.22, 142.17, 140.57, 130.90, 128.75, 128.48, 126.51, 119.71, 118.72, 117.94, 40.23, 30.00.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{14}\text{Cl}_1\text{O}_2$ 261.0682; Found 261.0677.

1-(4-bromo-2-hydroxyphenyl)-3-phenylpropan-1-one **1d**



The compound was prepared using the **general procedure 2.3.1** described above.

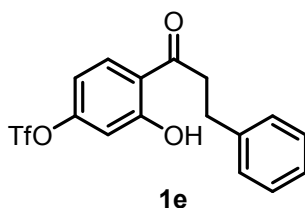
Yellow solid (122 mg, 20%).

^1H NMR (400 MHz, Chloroform-*d*) δ 12.38 (s, 1H), 7.57 (d, J = 8.6 Hz, 1H), 7.35 – 7.27 (m, 2H), 7.27 – 7.20 (m, 3H), 7.18 (d, J = 1.9 Hz, 1H), 7.01 (dd, J = 8.5, 1.9 Hz, 1H), 3.28 (t, J = 7.6 Hz, 2H), 3.06 (t, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 204.97, 163.00, 140.56, 130.85, 130.77, 128.76, 128.48, 126.52, 122.57, 121.86, 118.25, 40.22, 29.98.

HRMS (ESI+) m/z : $[\text{M}]^+$ Calcd for $\text{C}_{15}\text{H}_{13}\text{Br}_1\text{O}_2$ 304.0099; Found 304.0070.

3-hydroxy-4-(3-phenylpropanoyl)phenyl trifluoromethanesulfonate **1e**



To a solution of 1-(2,4-dihydroxyphenyl)-3-phenylpropan-1-one **1i** (50 mg, 0.206 mmol), pyridine (0.206 mmol) and dimethylaminopyridine (0.02 mmol) in dry CH_2Cl_2 (1.5 ml) was added trifluoromethanesulfonic anhydride (0.227 mmol) at 0 $^\circ\text{C}$ for 20 min. Then, the reaction mixture was cooled and quenched with 2 N HCl aqueous solution, extracted with CH_2Cl_2 , dried over MgSO_4 , filtered and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

White solid (57.3 mg, 74%).

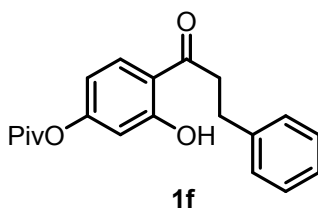
^1H NMR (400 MHz, Chloroform-*d*) δ 12.53 (s, 1H), 7.83 (d, J = 8.9 Hz, 1H), 7.36 – 7.28 (m, 2H), 7.26 – 7.20 (m, 3H), 6.91 (d, J = 2.5 Hz, 1H), 6.80 (dd, J = 8.9, 2.5 Hz, 1H), 3.33 (t, J = 7.6 Hz, 2H), 3.08 (t, J = 7.6 Hz, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 204.64, 164.11, 153.94, 140.33, 131.96, 128.80, 128.48, 126.61, 119.18, 112.14, 111.54, 40.46, 29.84.

^{19}F NMR (471 MHz, Chloroform-*d*) δ -72.67.

HRMS (ESI+) m/z : $[\text{M}+\text{NH}_4]^+$ Calcd for $\text{C}_{16}\text{H}_{17}\text{F}_3\text{N}_1\text{O}_5\text{S}_1$ 392.0780; Found 392.0811.

3-hydroxy-4-(3-phenylpropanoyl)phenyl pivalate **1f**



To a solution of 1-(2,4-dihydroxyphenyl)-3-phenylpropan-1-one **1i** (50 mg, 0.206 mmol) and triethylamine (0.413 mmol) in acetone (1.5 ml) was added pivaloyl chloride (0.227 mmol) at room temperature for 30 min. Then the reaction mixture was

quenched with water, extracted with EtOAc, dried over MgSO₄, filtered and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

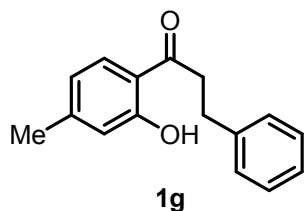
White solid (44.2 mg, 66%).

¹H NMR (400 MHz, Chloroform-*d*) δ 12.47 (s, 1H), 7.74 (d, *J* = 8.8 Hz, 1H), 7.35 – 7.20 (m, 5H), 6.70 (d, *J* = 2.3 Hz, 1H), 6.61 (dd, *J* = 8.8, 2.3 Hz, 1H), 3.29 (dd, *J* = 8.5, 6.9 Hz, 2H), 3.06 (dd, *J* = 8.4, 6.9 Hz, 2H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 204.53, 176.30, 164.13, 157.25, 140.73, 131.11, 128.74, 128.49, 126.47, 117.22, 113.00, 111.27, 40.21, 39.34, 30.07, 27.12.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₂₀H₂₃O₄ 327.1596; Found 327.1611.

1-(2-hydroxy-4-methylphenyl)-3-phenylpropan-1-one **1g**



The compound was prepared using the **general procedure 2.3.1** described above.

Yellow solid (70 mg, 15%).

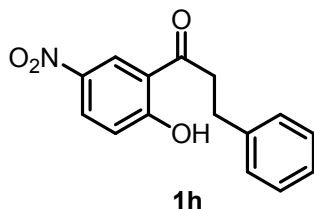
¹H NMR (400 MHz, Chloroform-*d*) δ 12.33 (s, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.35 – 7.28 (m, 2H), 7.26 – 7.20 (m, 3H), 6.79 (s, 1H), 6.69 (dd, *J* = 8.2, 1.1 Hz, 1H), 3.30 (t, 2H), 3.06 (t, 2H), 2.34 (s, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 204.88, 162.69, 148.07, 140.93, 129.82, 128.70, 128.49, 126.39, 120.33, 118.65, 117.18, 40.00, 30.23, 22.04.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₆H₁₇O₂ 241.1229; Found 241.1235.

The characterization of the compound matched up with the data previously reported.²⁵

1-(2-hydroxy-5-nitrophenyl)-3-phenylpropan-1-one **1h**



To a solution of commercially available **1a** (0.6 ml) in acetic acid (1.2 ml) at 0 °C was added dropwise nitric acid (0.9 ml) and the resulting mixture was refluxed for 3 h.²⁵ Then the solution was poured to ice and the resulting precipitate was filtered, washed with water and dried to give **1h**.

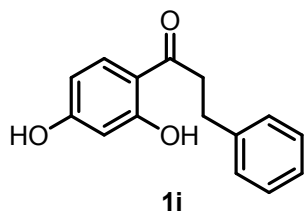
White solid (201 mg, 30%).

¹H NMR (400 MHz, Chloroform-*d*) δ 12.91 (s, 1H), 8.69 (d, *J* = 2.7 Hz, 1H), 8.34 (dd, *J* = 9.2, 2.7 Hz, 1H), 7.36 – 7.27 (m, 3H), 7.26 – 7.22 (m, 2H), 7.09 (d, *J* = 9.2 Hz, 1H), 3.43 (t, *J* = 7.5 Hz, 2H), 3.11 (t, *J* = 7.5 Hz, 2H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 205.05, 167.27, 140.02, 139.63, 131.06, 128.85, 128.52, 126.71, 126.47, 119.75, 118.25, 40.25, 29.73.

HRMS (ESI+) *m/z*: [M+NH]⁺ Calcd for C₁₅H₁₇N₂O₄ 289.1188; Found 289.1190.

1-(2,4-dihydroxyphenyl)-3-phenylpropan-1-one **1i**



This compound was synthesized by a previously reported procedure.²⁷ Resorcinol (1.50 g, 13.62 mmol) and 3-phenylpropanoic acid (2.05 g, 13.62 mmol) in boron trifluoride etherate (7 ml) were stirred at 60 °C for 3 h. Then, the reaction mixture was cooled and diluted with sodium acetate aqueous solution, stirred for 3 h at room temperature. The mixture was extracted

with EtOAc, dried over MgSO₄, filtered and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

White solid (1162 mg, 35%).

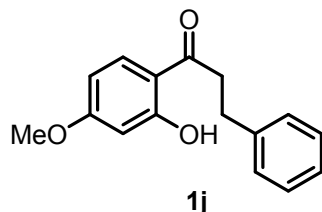
¹H NMR (400 MHz, Chloroform-*d*) δ 12.75 (s, 1H), 7.64 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.27 (m, 2H), 7.26 – 7.19 (m, 3H), 6.40 – 6.32 (m, 2H), 5.52 (s, 1H), 3.24 (t, 2H), 3.05 (t, *J* = 7.7 Hz, 2H).

¹³C NMR (126 MHz, DMSO-*d*₆) δ 204.09, 165.31, 164.75, 141.59, 133.54, 128.93, 128.82, 126.46, 113.07, 108.71, 102.94, 39.44, 30.22.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₅O₃ 243.1021; Found 243.1024.

The characterization of the compound matched up with the data previously reported.²⁷

1-(2-hydroxy-4-methoxyphenyl)-3-phenylpropan-1-one **1j**



To a solution of 1-(2,4-dihydroxyphenyl)-3-phenylpropan-1-one **1i** (50 mg, 0.206 mmol) and cesium carbonate (67 mg, 0.206 mmol) in DMF (1 ml) was added methyl iodide (0.206 mmol) at room temperature for 30 min. Then the reaction mixture was quenched with water, extracted with EtOAc, dried over MgSO₄, filtered and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

White solid (41.5 mg, 78%).

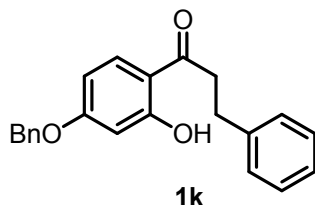
¹H NMR (400 MHz, Chloroform-*d*) δ 12.80 (s, 1H), 7.64 (d, *J* = 9.5 Hz, 1H), 7.35 – 7.27 (m, 2H), 7.26 – 7.17 (m, 3H), 6.45 – 6.38 (m, 2H), 3.83 (s, 3H), 3.24 (t, 2H), 3.05 (t, *J* = 7.7 Hz, 2H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 203.64, 166.11, 165.49, 140.98, 131.54, 128.68, 128.49, 126.37, 113.50, 107.78, 101.05, 55.67, 39.78, 30.40.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₁₆H₁₇O₃ 257.1178; Found 257.1195.

The characterization of the compound matched up with the data previously reported.²⁸

1-(4-(benzyloxy)-2-hydroxyphenyl)-3-phenylpropan-1-one **1k**



To a solution of 1-(2,4-dihydroxyphenyl)-3-phenylpropan-1-one **1i** (50 mg, 0.206 mmol) and potassium carbonate (29 mg, 0.206 mmol) in CH₃CN (1.5 ml) was added benzyl bromide (0.227 mmol) at room temperature. The reaction mixture was refluxed for 2 h. After cooling, the reaction mixture was quenched with water, extracted with EtOAc, dried over MgSO₄, filtered and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

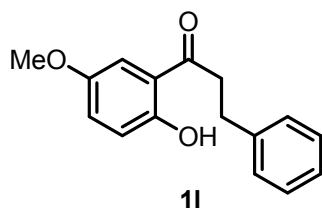
White solid (64.4 mg, 94%).

¹H NMR (400 MHz, Chloroform-*d*) δ 12.78 (s, 1H), 7.65 (d, *J* = 8.6 Hz, 1H), 7.45 – 7.21 (m, 11H), 6.53 – 6.46 (m, 2H), 5.09 (s, 2H), 3.24 (t, *J* = 7.7 Hz, 2H), 3.05 (t, *J* = 7.7 Hz, 2H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 203.66, 165.41, 165.19, 140.98, 135.96, 131.62, 128.83, 128.70, 128.50, 128.44, 127.66, 126.39, 113.70, 108.28, 102.09, 70.31, 39.79, 30.39.

HRMS (ESI+) *m/z*: [M+H]⁺ Calcd for C₂₂H₂₁O₃ 333.1491; Found 333.1519.

1-(2-hydroxy-5-methoxyphenyl)-3-phenylpropan-1-one **1l**



The compound was prepared using the **general procedure 2.3.1** described above.

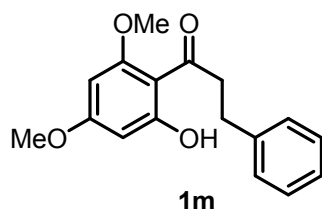
Yellowish oil (75 mg, 15%).

^1H NMR (400 MHz, Chloroform-*d*) δ 11.91 (s, 1H), 7.36 – 7.28 (m, 2H), 7.28 – 7.21 (m, 3H), 7.15 (d, J = 3.0 Hz, 1H), 7.10 (dd, J = 9.0, 3.1 Hz, 1H), 6.93 (d, J = 9.0 Hz, 1H), 3.76 (s, 3H), 3.31 (t, J = 7.7 Hz, 2H), 3.07 (t, J = 7.7 Hz, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 204.95, 156.96, 151.83, 140.85, 128.74, 128.54, 126.46, 124.35, 119.52, 118.83, 112.48, 56.09, 40.32, 30.07.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{17}\text{O}_3$ 257.1178; Found 257.1174.

1-(2-hydroxy-4,6-dimethoxyphenyl)-3-phenylpropan-1-one **1m**



This compound was synthesized using a previously reported procedure.²⁷ 3,5-Dimethoxyphenol (462 mg, 3 mmol) and 3-phenylpropanoic acid (451 mg, 3 mmol) in boron trifluoride etherate (2 ml) were stirred at 90 °C for 2 h. Then, the reaction mixture was cooled and diluted with sodium acetate aqueous solution, stirred for 3 h at room temperature. The mixture was extracted with EtOAc, dried over MgSO_4 , filtered and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

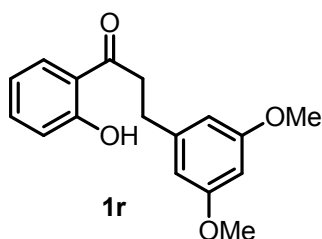
White solid (555 mg, 65%).

^1H NMR (400 MHz, Chloroform-*d*) δ 14.03 (s, 1H), 7.33 – 7.18 (m, 6H), 6.08 (d, J = 2.5 Hz, 1H), 5.93 (d, J = 2.4 Hz, 1H), 3.83 (s, 3H), 3.82 (s, 3H), 3.32 (t, 2H), 3.00 (t, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 204.60, 167.79, 166.05, 162.81, 141.81, 128.56, 128.53, 126.03, 105.81, 93.73, 90.93, 55.70, 55.66, 45.81, 30.78.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{19}\text{O}_4$ 287.1283; Found 287.1289.

3-(3,5-dimethoxyphenyl)-1-(2-hydroxyphenyl)propan-1-one **1r**



To a solution of 3-(3,5-dimethoxyphenyl)-1-(2-hydroxyphenyl)prop-2-en-1-one which was prepared by a previously reported procedure²⁹ (150 mg, 0.528 mmol) and catalytic amounts of palladium on carbon in EtOAc (2 ml) and ethanol (2 ml) was injected H_2 gas at room temperature. The reaction mixture was stirred for 1 h. Then, the reaction mixture was diluted with EtOAc and filtered over a celite pad and evaporated under reduced pressure. The residue was purified by chromatography on silica gel column.

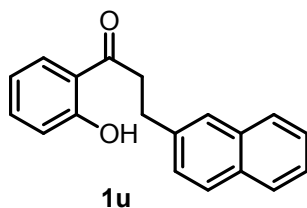
White solid (79.3 mg, 53%).

^1H NMR (400 MHz, Chloroform-*d*) δ 12.29 (s, 1H), 7.74 (dd, J = 8.1, 1.7 Hz, 1H), 7.46 (ddd, J = 8.6, 7.1, 1.6 Hz, 1H), 6.99 (dd, J = 8.4, 1.2 Hz, 1H), 6.88 (ddd, J = 8.2, 7.2, 1.2 Hz, 1H), 6.40 (d, J = 2.3 Hz, 2H), 6.33 (t, J = 2.3 Hz, 1H), 3.78 (s, 6H), 3.32 (t, 2H), 3.01 (t, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 205.45, 162.53, 161.04, 143.23, 136.48, 129.93, 119.37, 119.05, 118.65, 106.57, 98.21, 55.38, 39.96, 30.36.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{19}\text{O}_4$ 287.1283; Found 287.1284.

1-(2-hydroxyphenyl)-3-(naphthalen-2-yl)propan-1-one **1u**



The compound was prepared using the **general procedure 2.3.1** described above.

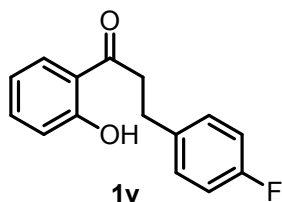
Yellow solid (53 mg, 26%).

^1H NMR (400 MHz, Chloroform-*d*) δ 12.32 (s, 1H), 7.85 – 7.74 (m, 4H), 7.69 (s, 1H), 7.51 – 7.41 (m, 3H), 7.39 (dd, J = 8.4, 1.8 Hz, 1H), 6.99 (dd, J = 8.4, 1.2 Hz, 1H), 6.88 (ddd, J = 8.2, 7.2, 1.2 Hz, 1H), 3.43 (t, J = 7.7 Hz, 2H), 3.24 (t, J = 7.6 Hz, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 205.44, 162.60, 138.35, 136.52, 133.73, 132.27, 129.97, 128.38, 127.79, 127.60, 127.17, 126.68, 126.26, 125.59, 119.40, 119.09, 118.70, 40.05, 30.23.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{17}\text{O}_2$ 277.1229; Found 277.1223.

3-(4-fluorophenyl)-1-(2-hydroxyphenyl)propan-1-one **1v**



The compound was prepared using the **general procedure 2.3.1** described above.

White solid (87 mg, 18%)

^1H NMR (400 MHz, DMSO-*d*₆) δ 12.27 (s, 1H), 7.74 (dd, J = 8.1, 1.7 Hz, 1H), 7.47 (ddd, J = 8.6, 7.2, 1.6 Hz, 1H), 7.21 (dd, J = 8.5, 5.6 Hz, 2H), 7.03 – 6.95 (m, 3H), 6.88 (ddd, J = 8.1, 7.1, 1.1 Hz, 1H), 3.31 (t, J = 7.6 Hz, 2H), 3.05 (t, J = 7.6 Hz, 2H).

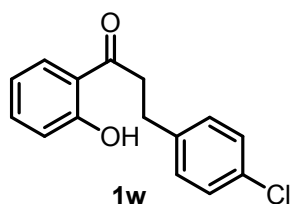
^{13}C NMR (126 MHz, DMSO-*d*₆) δ 205.24, 162.56, 160.62, 136.53, 136.42 (d, J = 3.1 Hz), 129.95, 129.88 (d, J = 4.2 Hz), 119.33, 119.05, 118.71, 115.46 (d, J = 21.2 Hz), 40.13, 29.24.

^{19}F NMR (471 MHz, Chloroform-*d*) δ -116.79.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{14}\text{F}_1\text{O}_2$ 245.0978; Found 245.0962.

The characterization of the compound matched up with the data previously reported.³⁰

3-(4-chlorophenyl)-1-(2-hydroxyphenyl)propan-1-one **1w**



The compound was prepared using the **general procedure 2.3.1** described above.

White solid (70 mg, 13%)

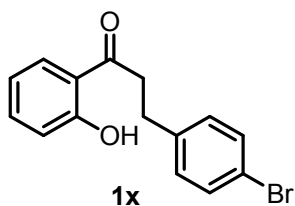
^1H NMR (400 MHz, Chloroform-*d*) δ 12.24 (s, 1H), 7.73 (dd, J = 8.1, 1.7 Hz, 1H), 7.47 (ddd, J = 8.7, 7.2, 1.6 Hz, 1H), 7.27 (d, J = 8.6 Hz, 2H), 7.18 (d, J = 8.4 Hz, 2H), 6.99 (dd, J = 8.4, 1.2 Hz, 1H), 6.88 (ddd, J = 8.2, 7.2, 1.2 Hz, 1H), 3.31 (t, J = 7.6 Hz, 2H), 3.04 (t, J = 7.5 Hz, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 205.04, 162.55, 139.26, 136.57, 132.18, 129.89, 129.82, 128.79, 119.30, 119.07, 118.72, 39.84, 29.33.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{14}\text{Cl}_1\text{O}_2$ 261.0682; Found 261.0634.

The characterization of the compound matched up with the data previously reported.³⁰

3-(4-bromophenyl)-1-(2-hydroxyphenyl)propan-1-one **1x**



The compound was prepared using the **general procedure 2.3.1** described above.

White solid (90 mg, 15%)

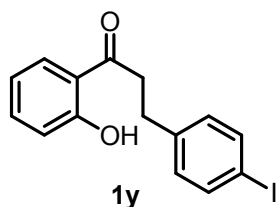
^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 12.24 (s, 1H), 7.73 (dd, $J = 8.0, 1.7$ Hz, 1H), 7.50 – 7.44 (m, 1H), 7.42 (d, $J = 8.3$ Hz, 2H), 7.13 (d, $J = 8.3$ Hz, 2H), 6.99 (dd, $J = 8.4, 1.2$ Hz, 1H), 6.93 – 6.84 (m, 1H), 3.31 (t, $J = 7.6$ Hz, 2H), 3.03 (t, $J = 7.5$ Hz, 2H).

^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) δ 205.00, 162.55, 139.81, 136.58, 131.75, 130.31, 129.83, 120.19, 119.30, 119.09, 118.72, 39.76, 29.37.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{14}\text{Br}_1\text{O}_2$ 305.0177; Found 305.0160.

The characterization of the compound matched up with the data previously reported.³¹

1-(2-hydroxyphenyl)-3-(4-iodophenyl)propan-1-one **1y**



The compound was prepared using the **general procedure 2.3.1** described above.

White solid (84 mg, 12%)

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 12.23 (s, 1H), 7.73 (dd, $J = 8.1, 1.6$ Hz, 1H), 7.62 (d, $J = 8.3$ Hz, 2H), 7.51 – 7.43 (m, 1H), 7.05 – 6.95 (m, 3H), 6.88 (ddd, $J = 8.1, 7.2, 1.2$ Hz, 1H), 3.31 (t, $J = 7.6$ Hz, 2H), 3.02 (t, $J = 7.5$ Hz, 2H).

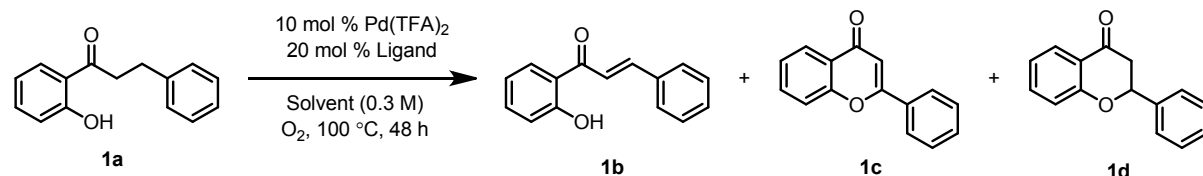
^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) δ 205.38, 161.04, 141.45, 137.51, 136.53, 131.49, 131.25, 120.90, 119.73, 118.17, 92.05, 40.61, 29.31.

HRMS (ESI+) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{14}\text{I}_1\text{O}_2$ 353.0039; Found 353.0046.

3. Optimization of the Reaction Conditions

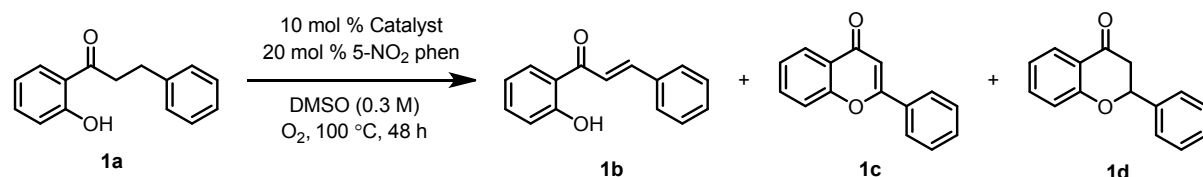
3.1. Optimization for Flavone

Table S1. Ligand investigation



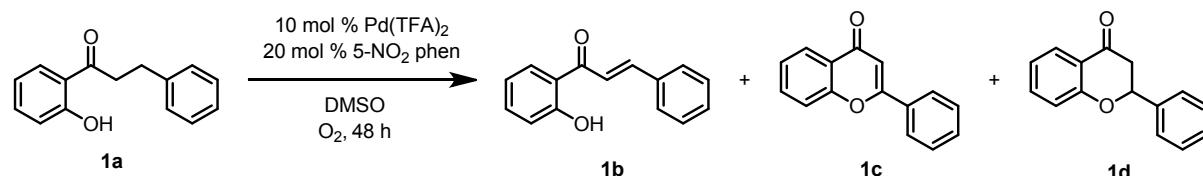
entry	ligand (20 mol %)	isolated yield (%)		
		1b	1c	1d
1	none	14	12	31
2	KOAc	0	45	17
3	K ₂ CO ₃	8	28	20
4	4-DMAP	6	29	36
5	Pyridine	5	41	37
6	Pyrimidine	7	48	32
7	1,10-Phenanthroline	9	31	25
8	2,2'-Bipyridine	5	55	10
9	5-Nitro-1,10-phenanthroline	3	81	2

Table S2. Catalyst investigation



entry	Pd(II) catalyst (10 mol %)	isolated yield (%)		
		1b	1c	1d
1	Pd(OPiv) ₂	3	64	4
2	Pd(OAc) ₂	2	59	6
3	Pd(acac) ₂	1	38	10

Table S3. Temperature investigation

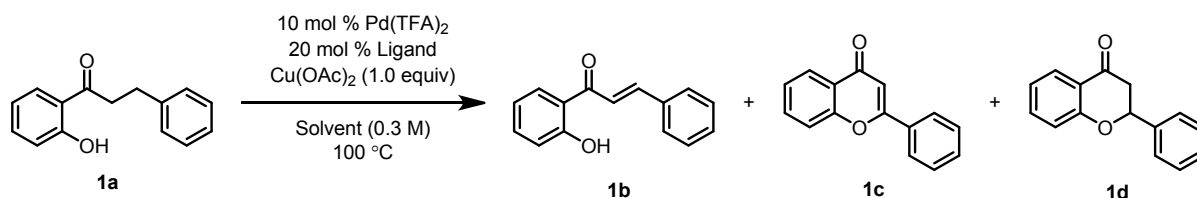


entry	Temperature (°C)	isolated yield (%)		
		1b	1c	1d
1	60	5	12	3

2	80	7	31	8
3	100	3	81	2
4	120	2	80	3

3.2. Optimization for Flavanone

Table S4. Ligand investigation



entry	ligand (20 mol %)	isolated yield (%)		
		1b	1c	1d
1	None	33	6	44
2	1,10-Phenanthroline	23	27	34
3	Pyridine	14	13	33
4	4-DMAP	25	22	35
5	K ₂ CO ₃	7	1	16
6	AcOH	20	11	42
7	HCO ₂ H	9	6	43
8	<i>p</i> -TsOH	24	4	45
9	H ₃ PO ₄	15	21	27
10	PivOH	26	13	40
11	<i>N</i> -Acetyl glycine	29	9	40

4. Supplementary Figure

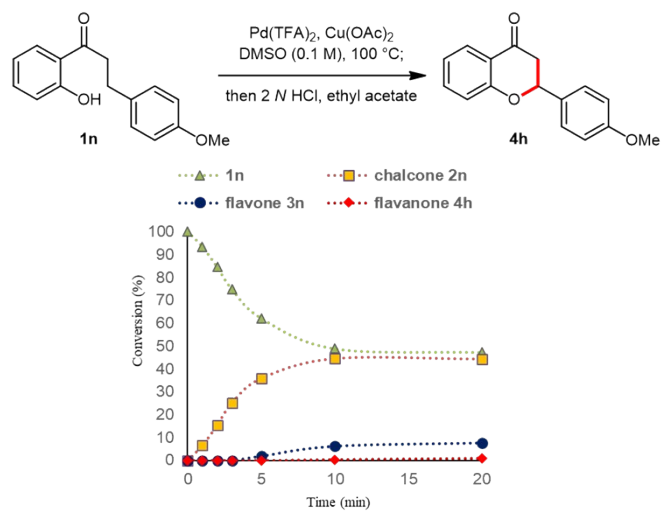
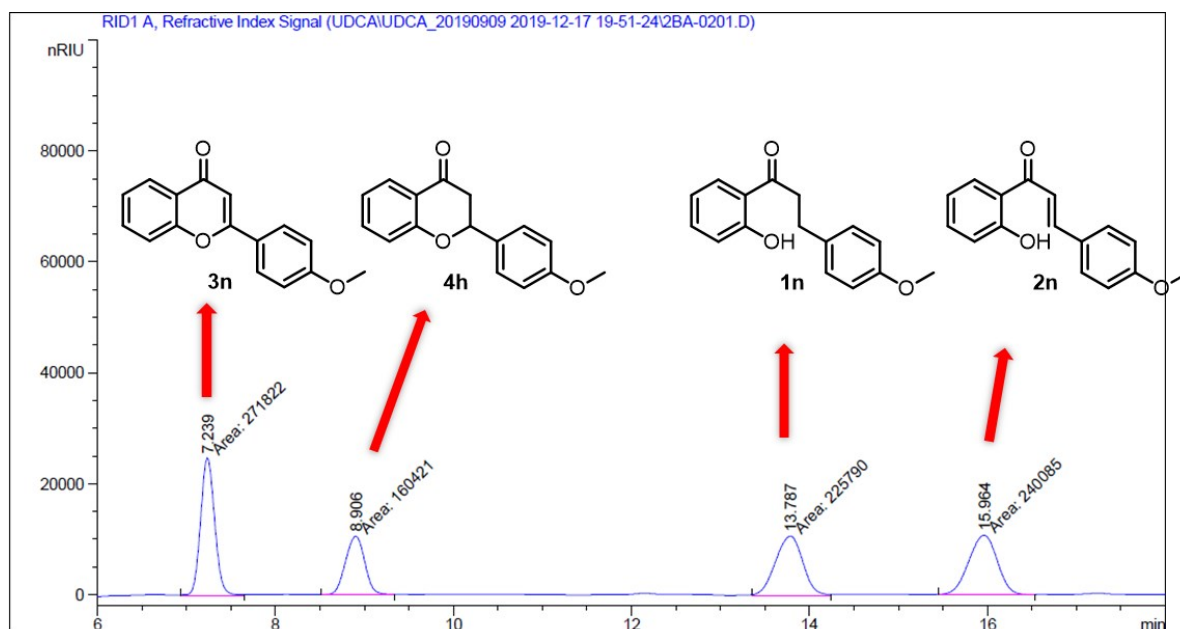


Figure S1. Kinetic experiment of the flavanone synthesis from 4-methoxy-2'-hydroxydihydrochalcone **1n**. Initial time part of the reaction.

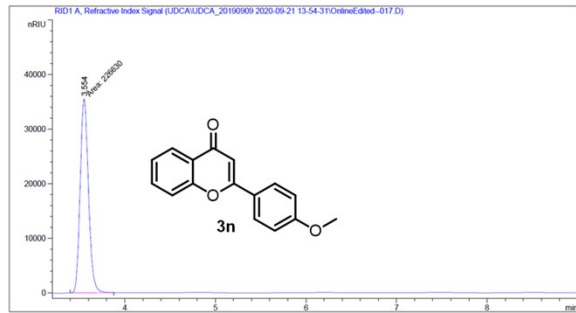
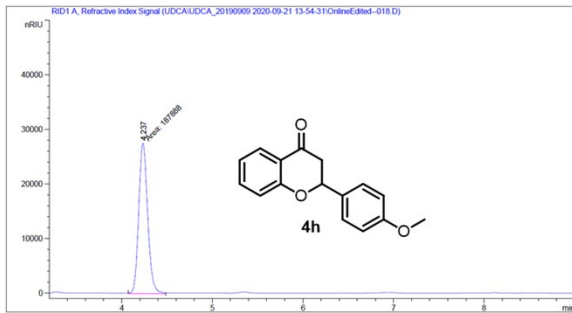
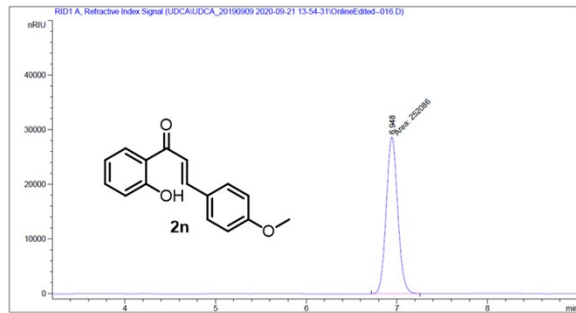
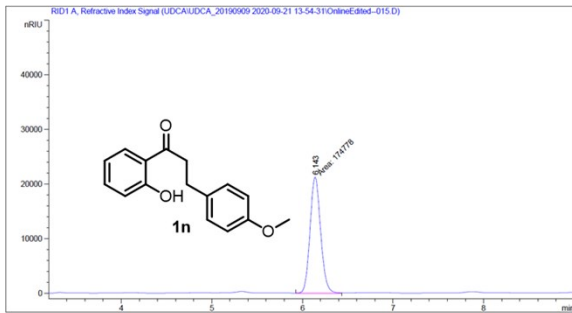
5. Kinetic Study

Relative amounts of the compounds were determined by HPLC with a ZORBAX Eclipse Plus C18 4.6x250mm (A) or Poroshell 120 EC-C18 4.6x150mm (B) (0.5 % formic acid in H₂O:ACN = 35:65, 0.9 ml/min, RID detector). The conversion yield was converted to the area ratio obtained by the RID detector. For A column; starting material **1** t_r= 13.787 min, chalcone **2** t_r= 15.969 min, flavanone **3** t_r= 8.906 min, flavone **4** t_r= 7.239 min. For B column; starting material **1** t_r= 6.143 min, chalcone **2** t_r= 6.943 min, flavanone **3** t_r= 4.237 min, flavone **4** t_r= 3.554 min.



Signal 1: RID1 A, Refractive Index Signal

Peak #	RetTime [min]	Type	Width [min]	Area [nRIU*s]	Height [nRIU]	Area %
1	7.239	MM	0.1830	2.71822e5	2.47507e4	30.2657
2	8.906	MM	0.2528	1.60421e5	1.05757e4	17.8619
3	13.787	MM	0.3535	2.25790e5	1.06466e4	25.1404
4	15.964	MM	0.3725	2.40085e5	1.07425e4	26.7320

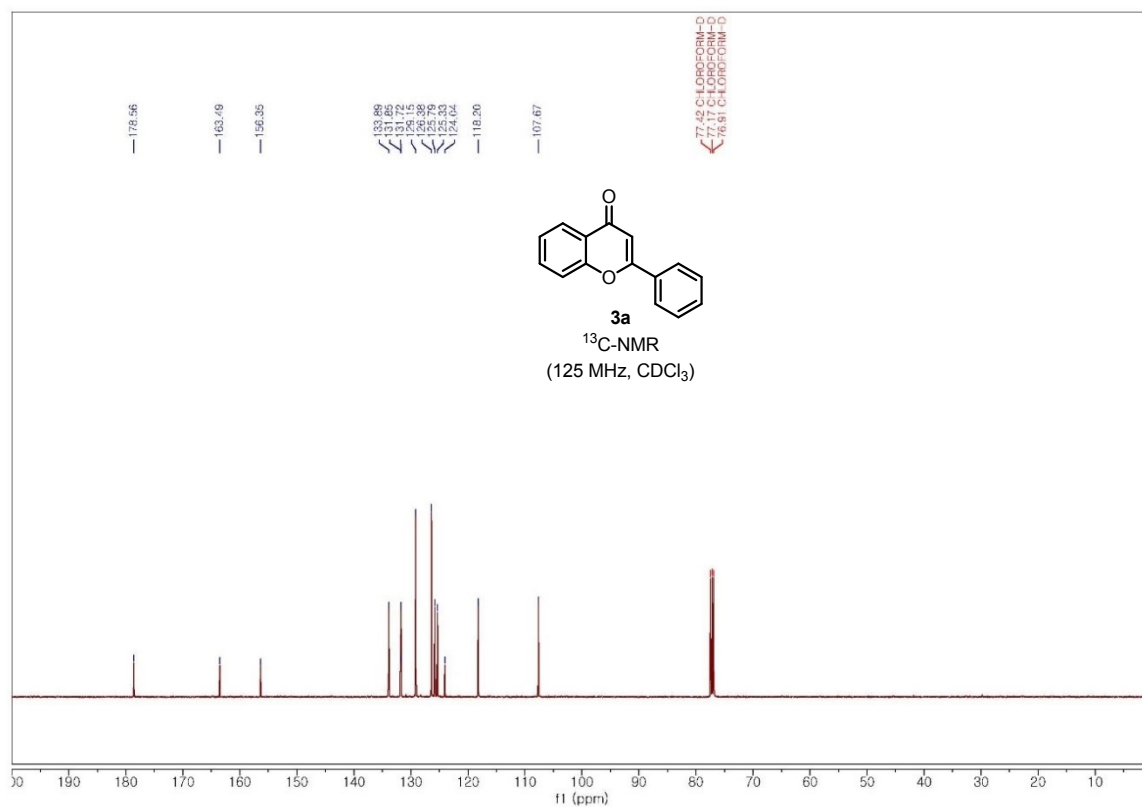
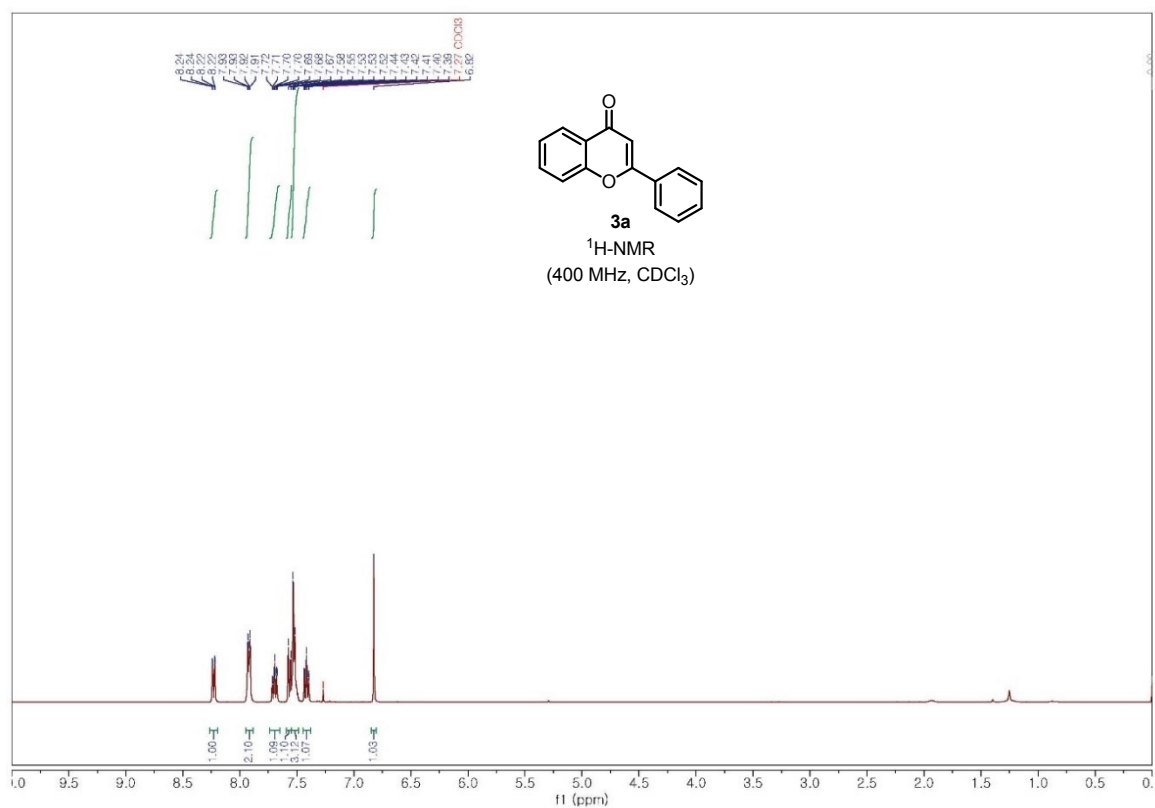


6. References

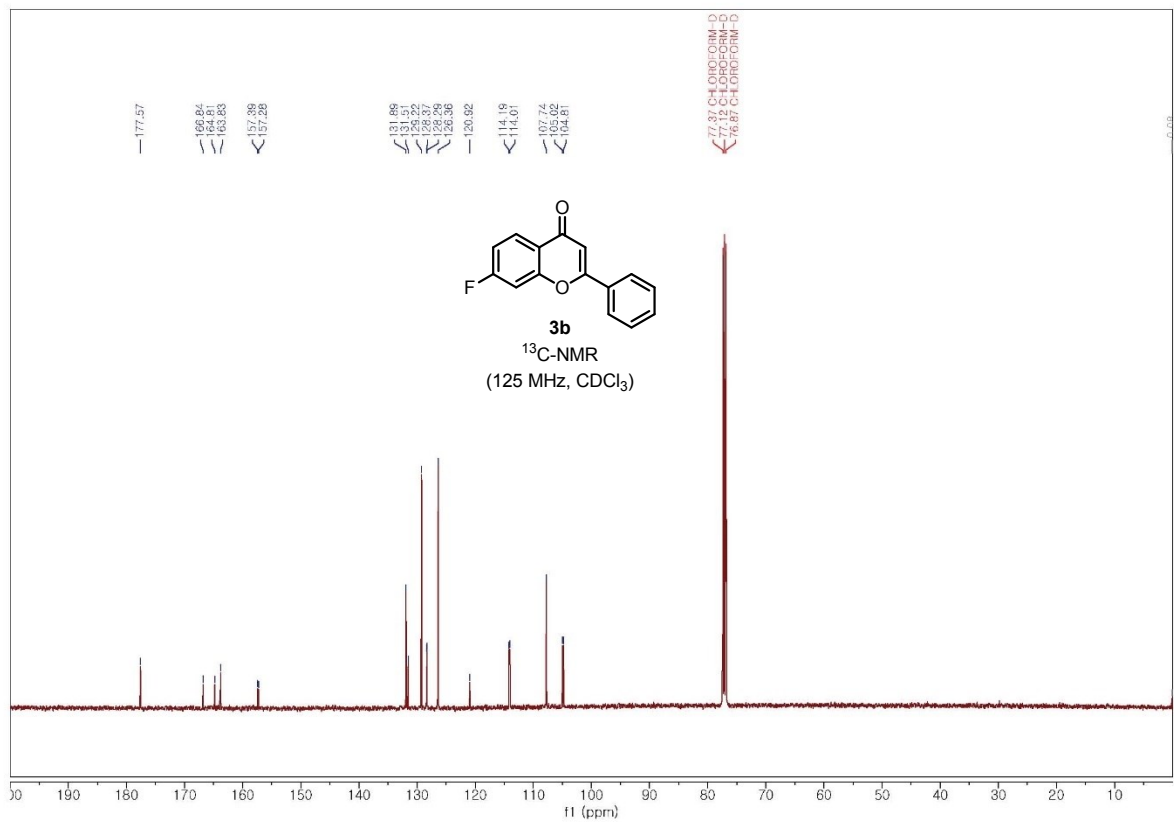
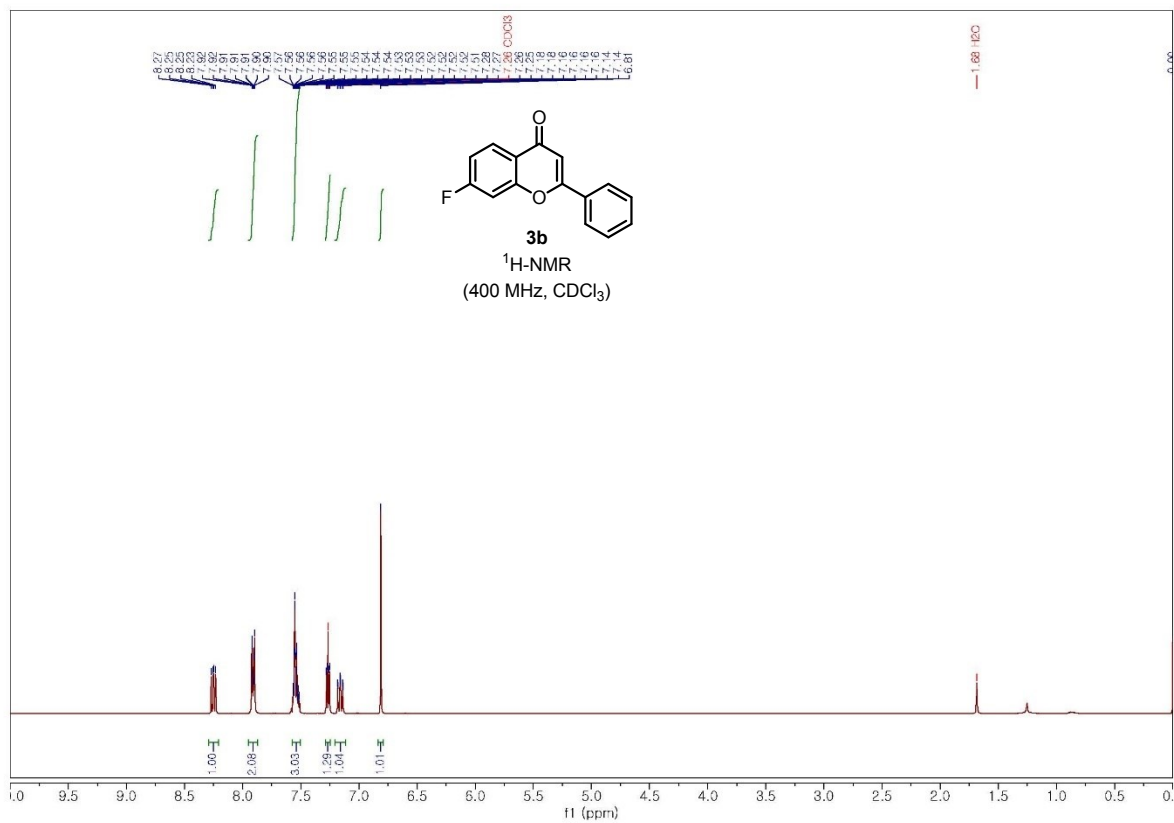
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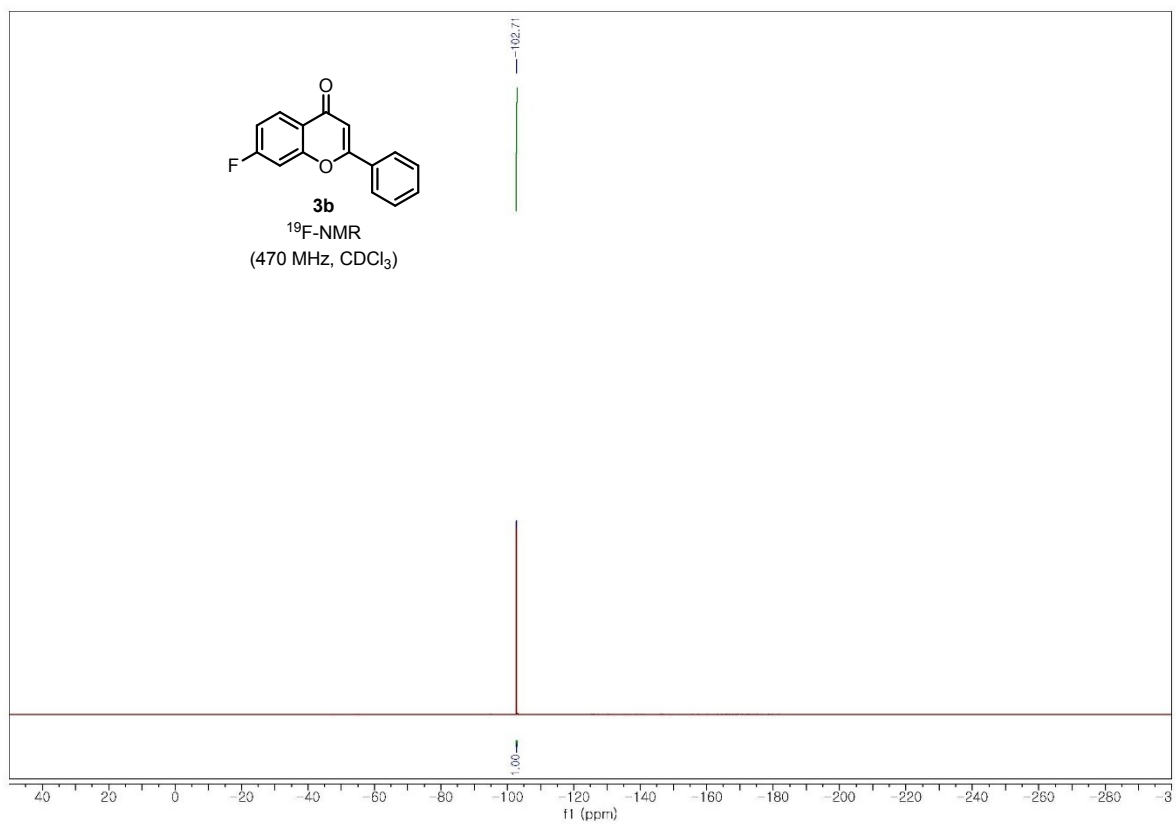
7. Spectral Data

2-phenyl-4H-chromen-4-one **3a**

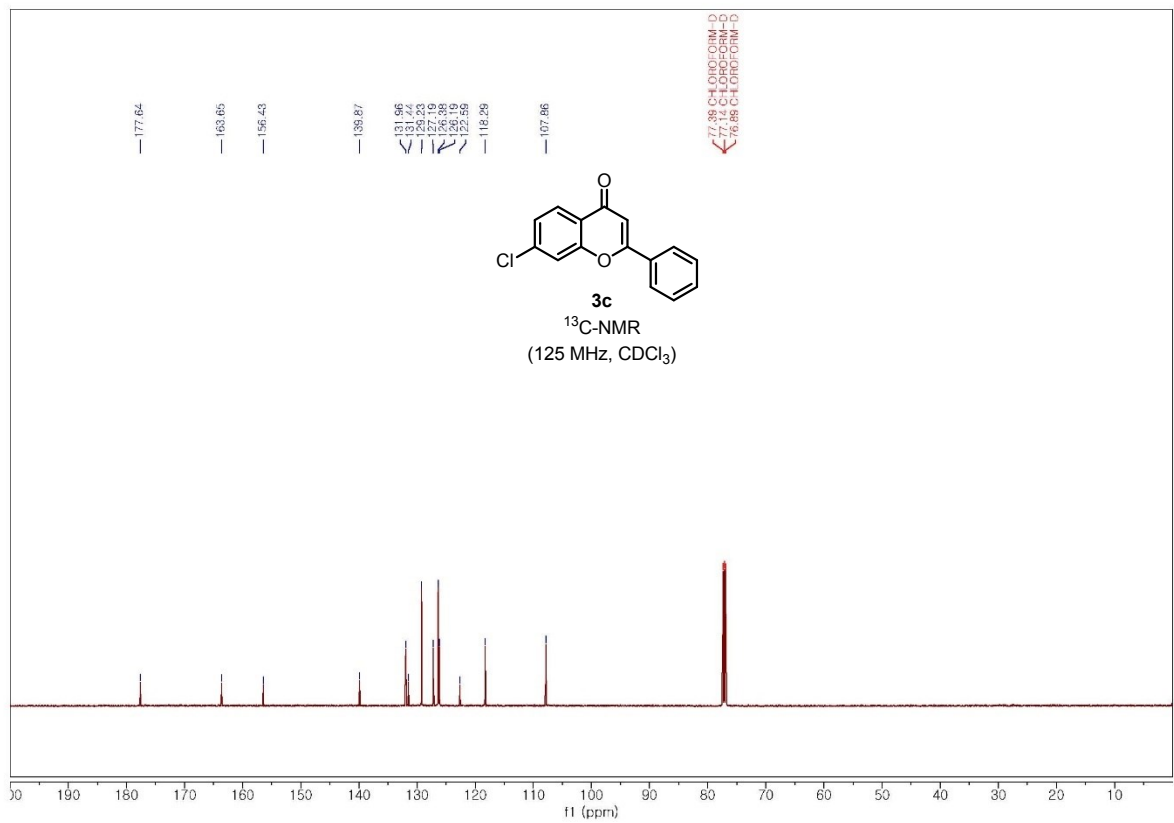
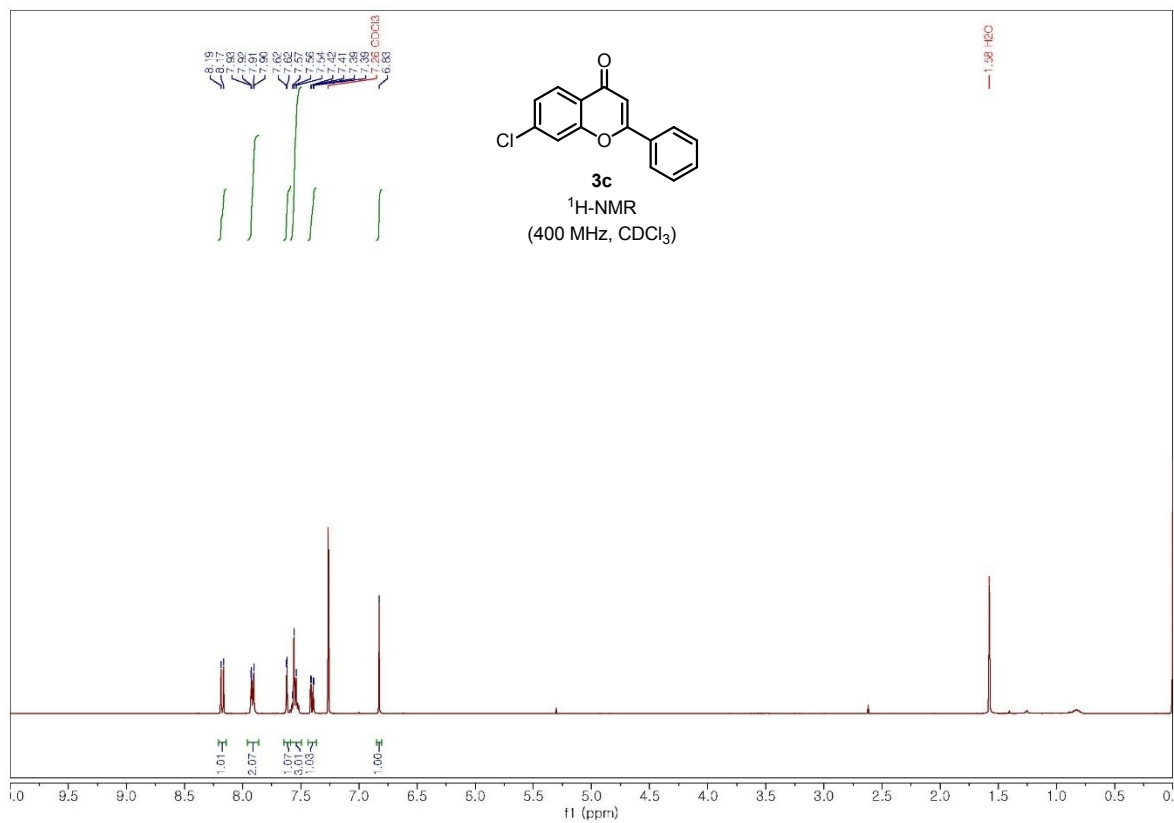


7-fluoro-2-phenyl-4H-chromen-4-one **3b**

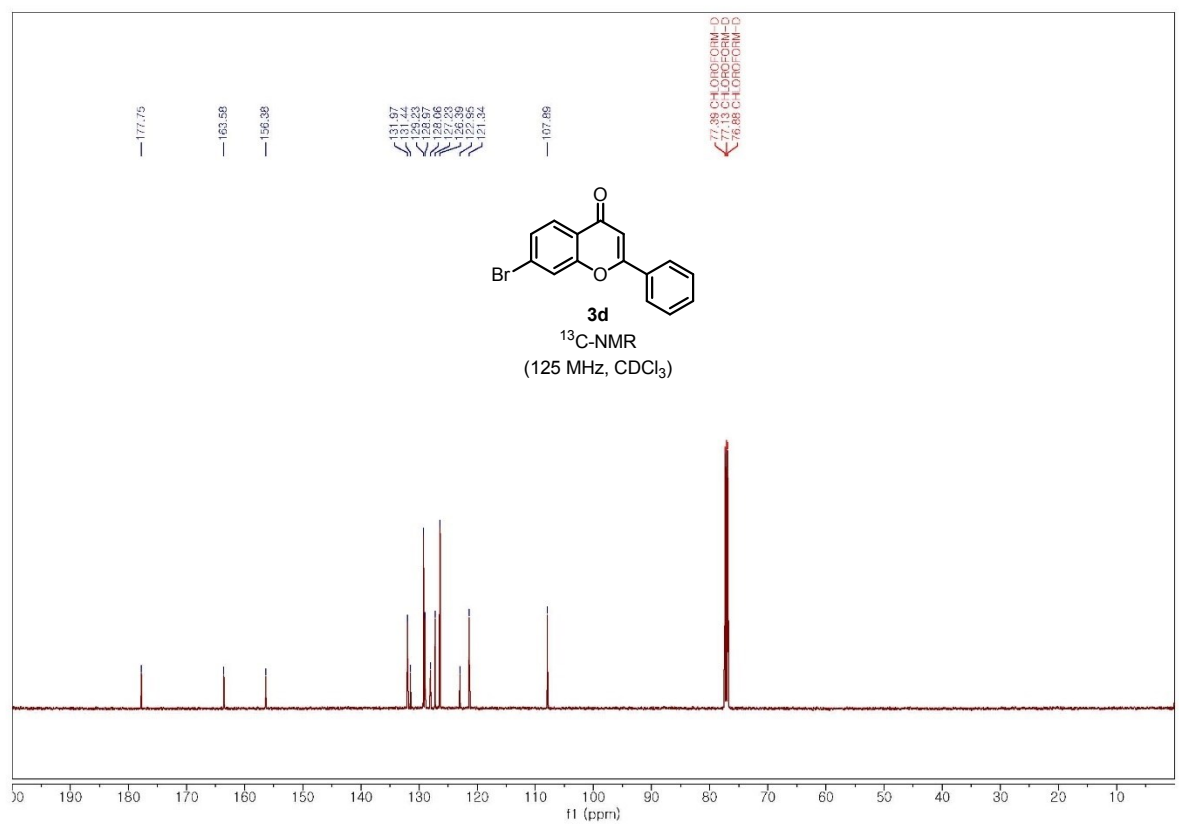
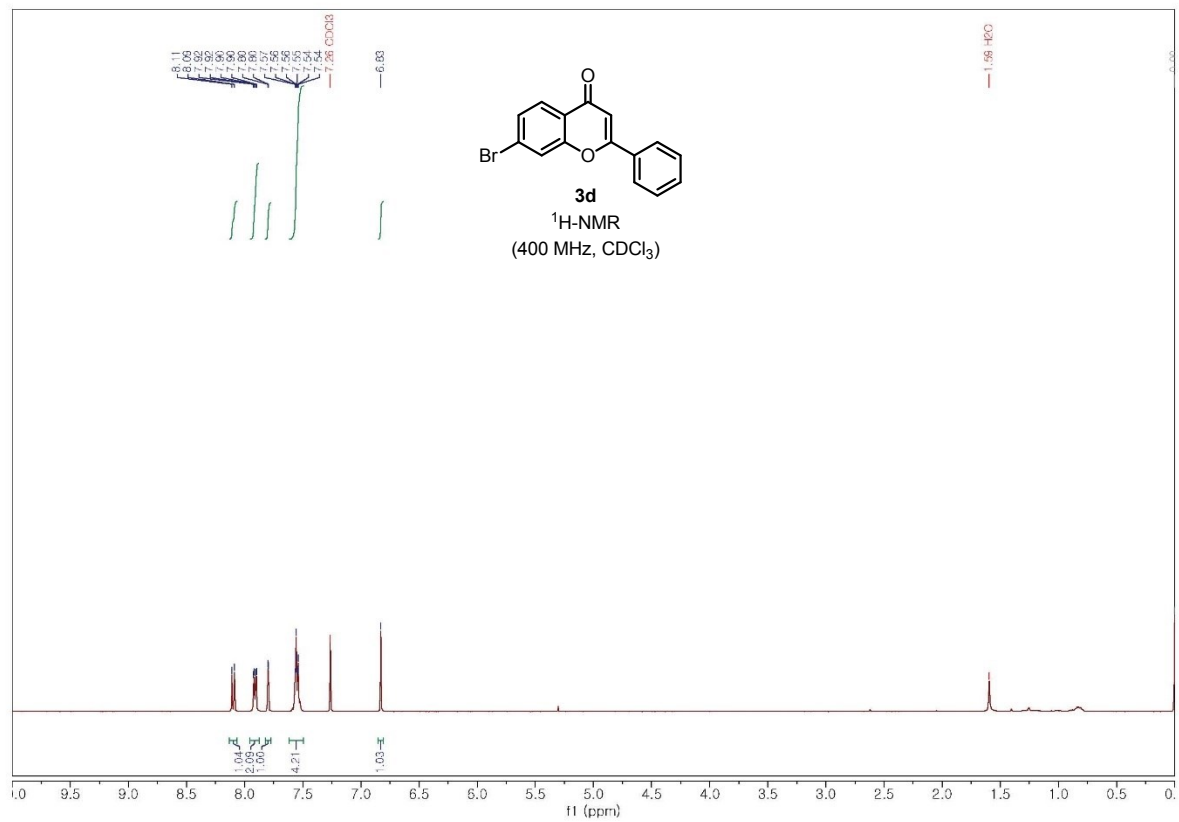




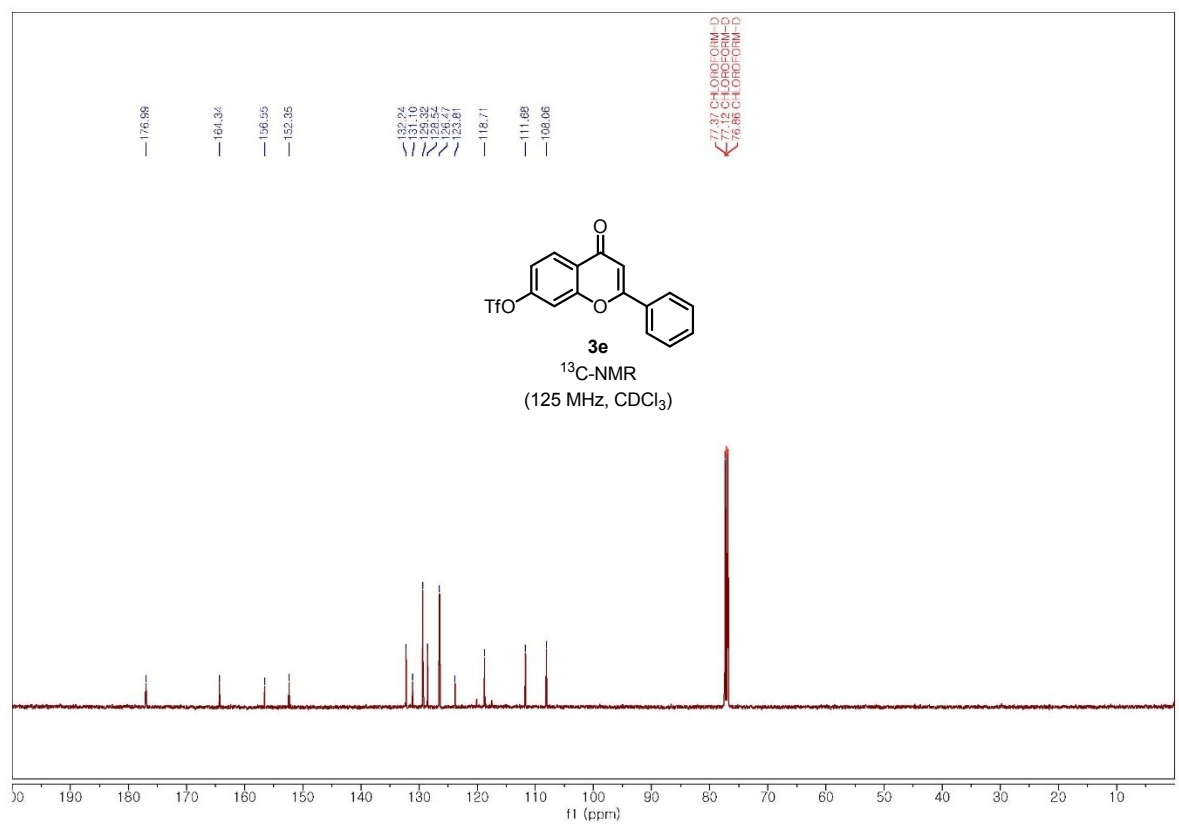
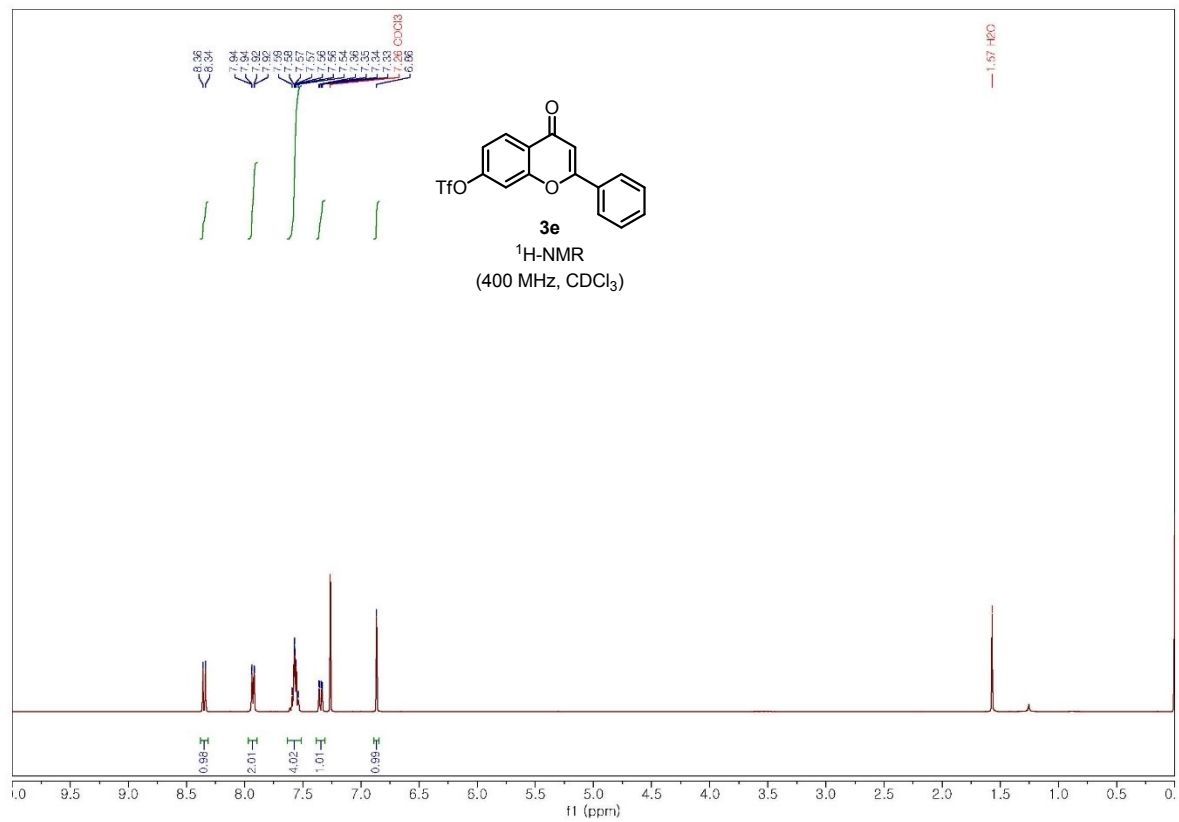
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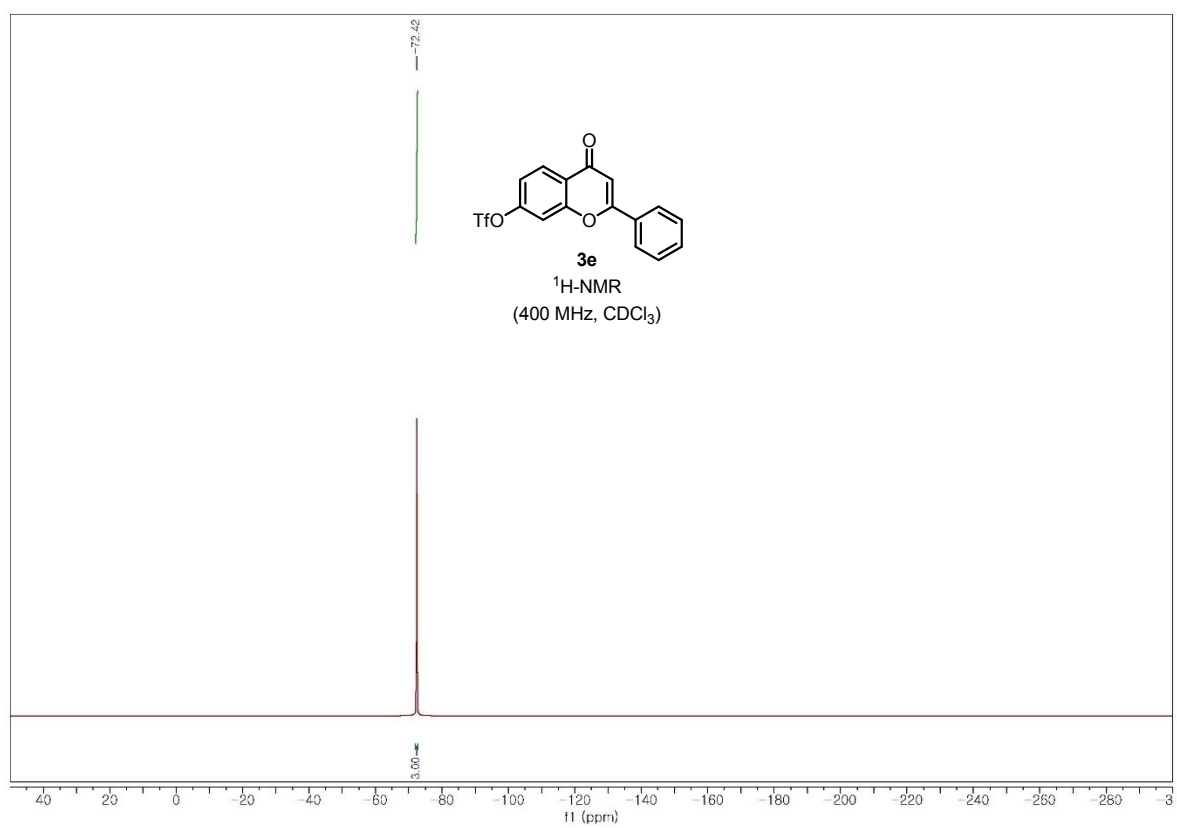


7-bromo-2-phenyl-4H-chromen-4-one **3d**

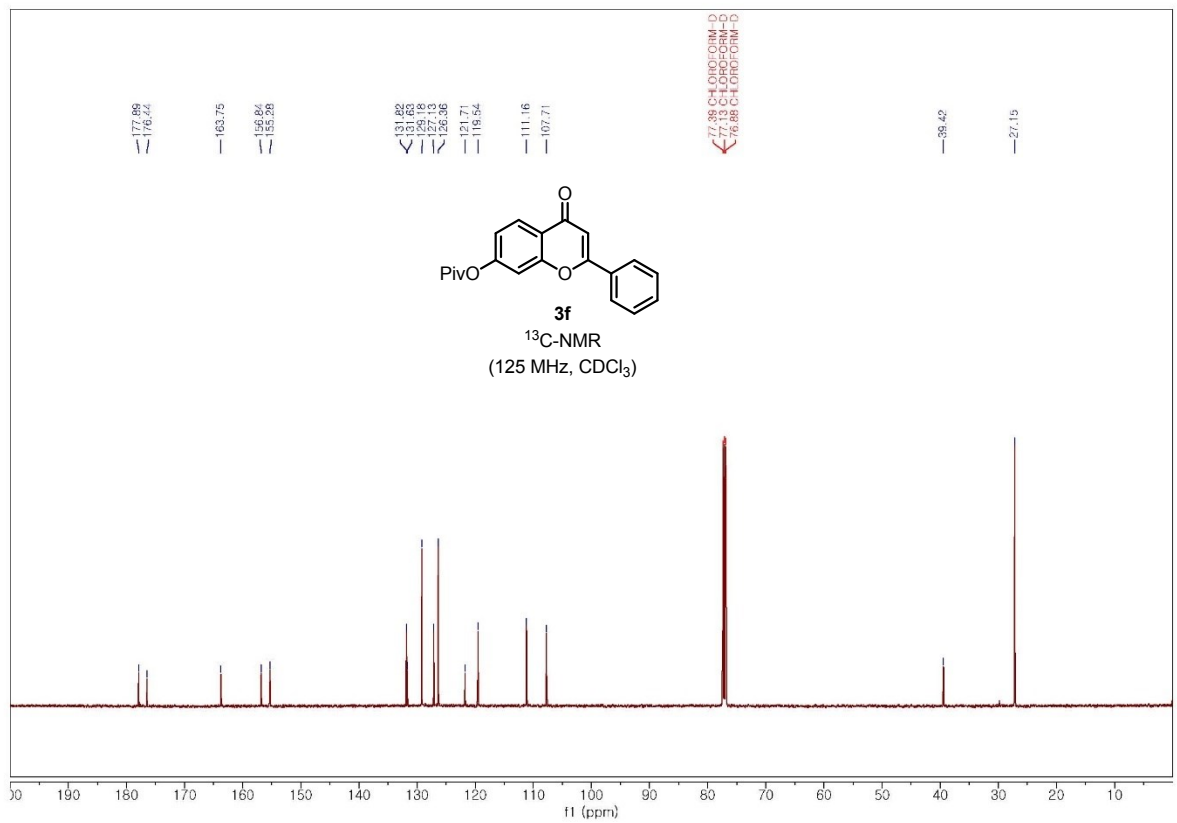
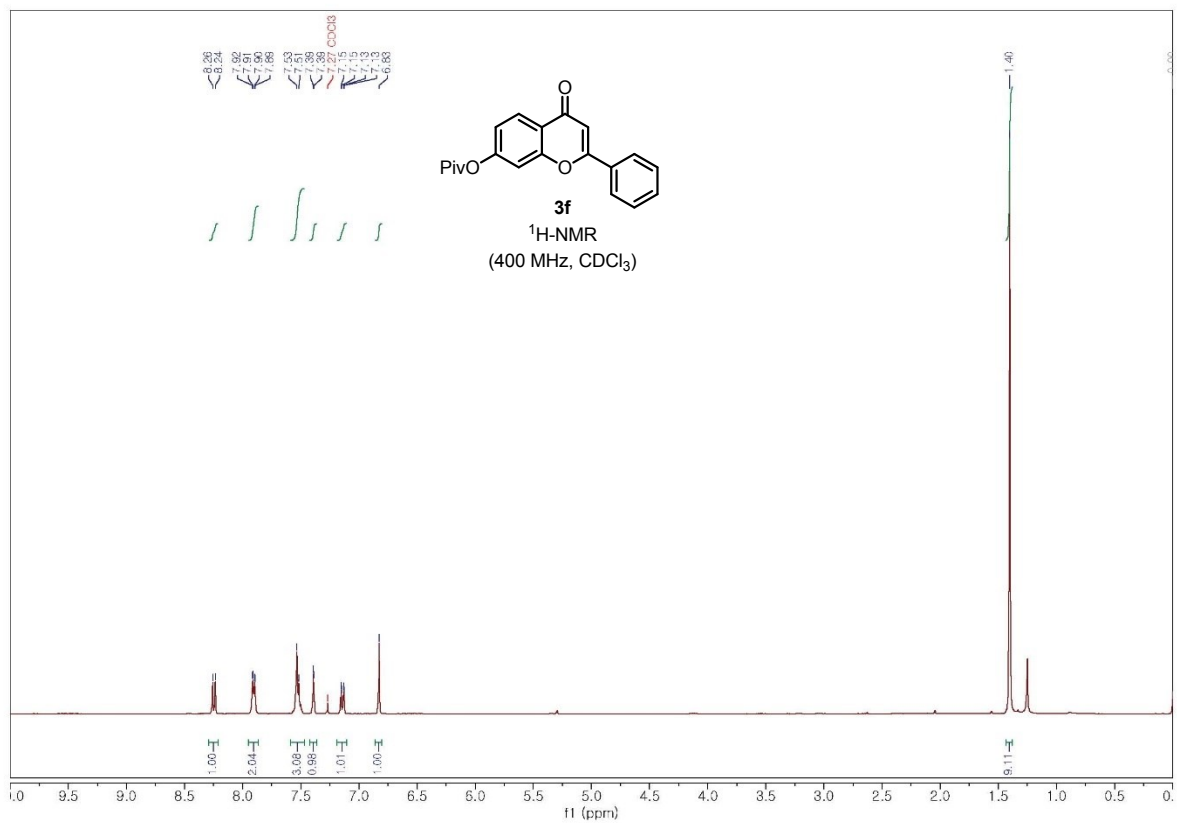


4-oxo-2-phenyl-4H-chromen-7-yl trifluoromethanesulfonate **3e**

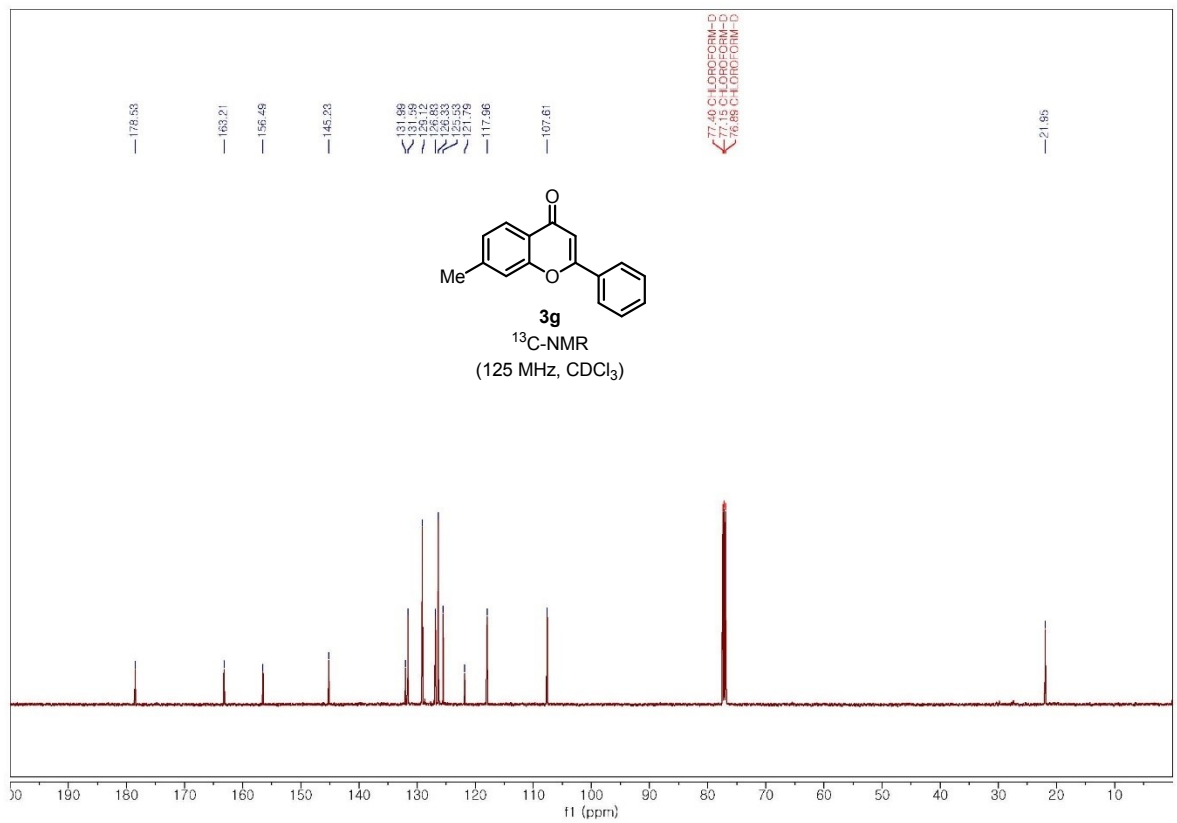
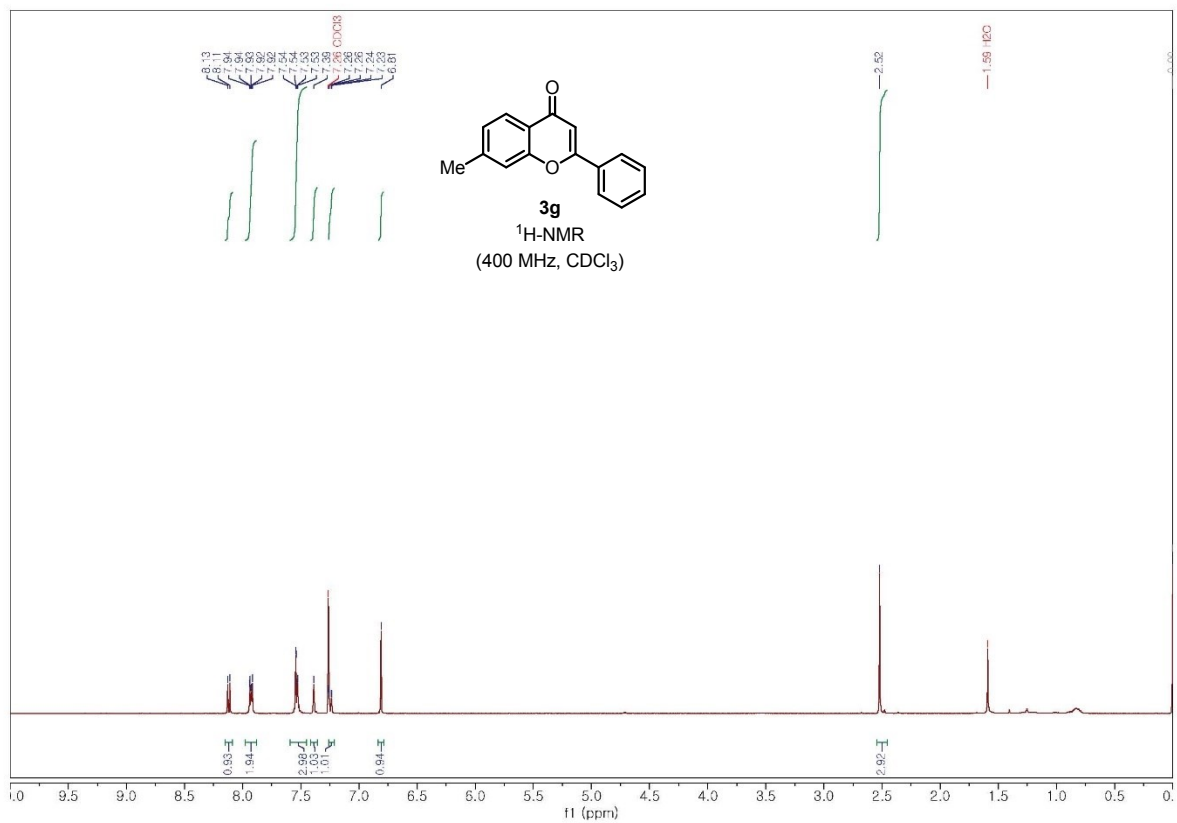




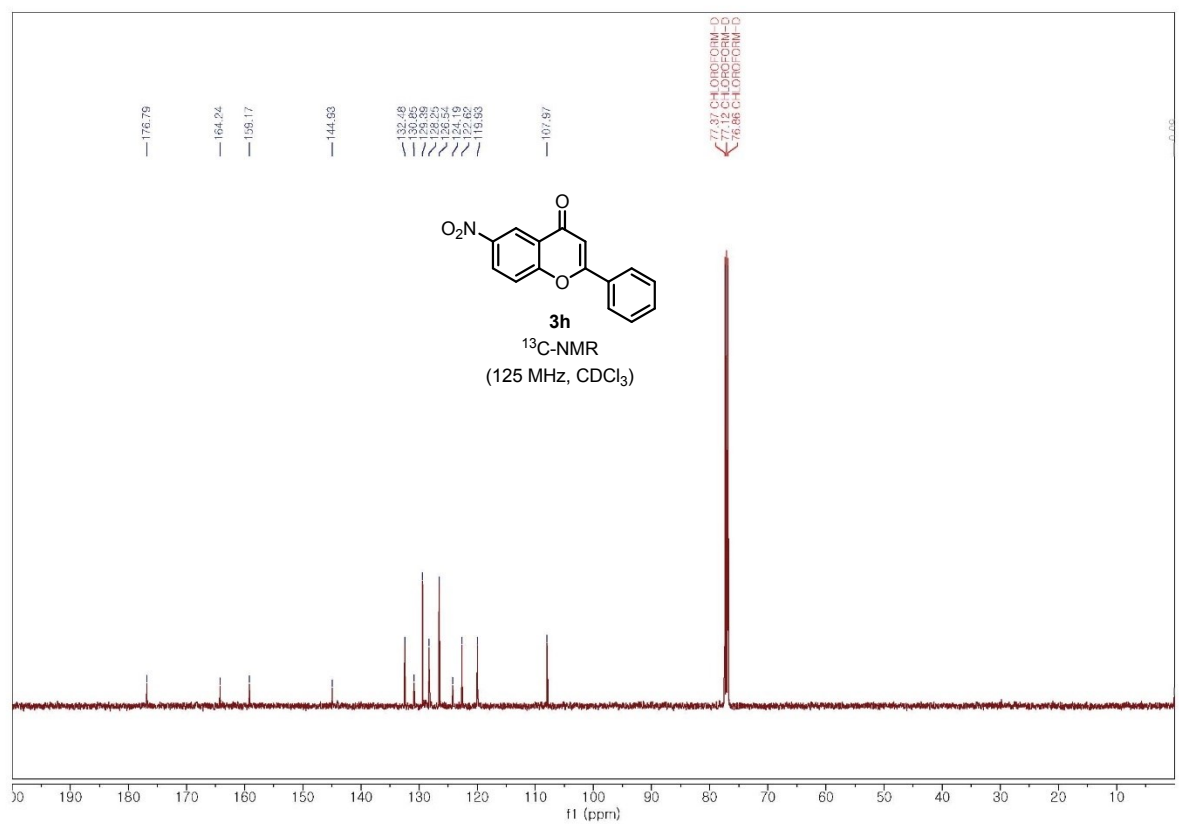
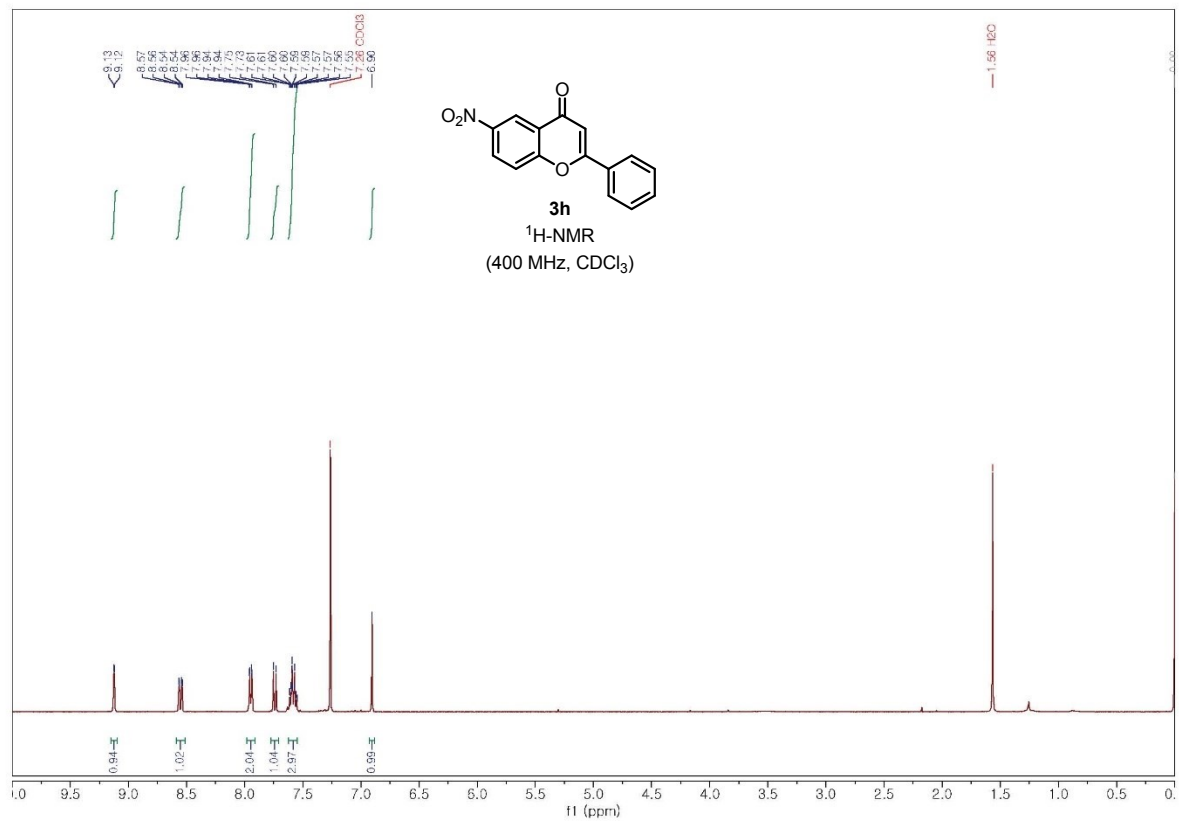
4-oxo-2-phenyl-4H-chromen-7-yl pivalate **3f**



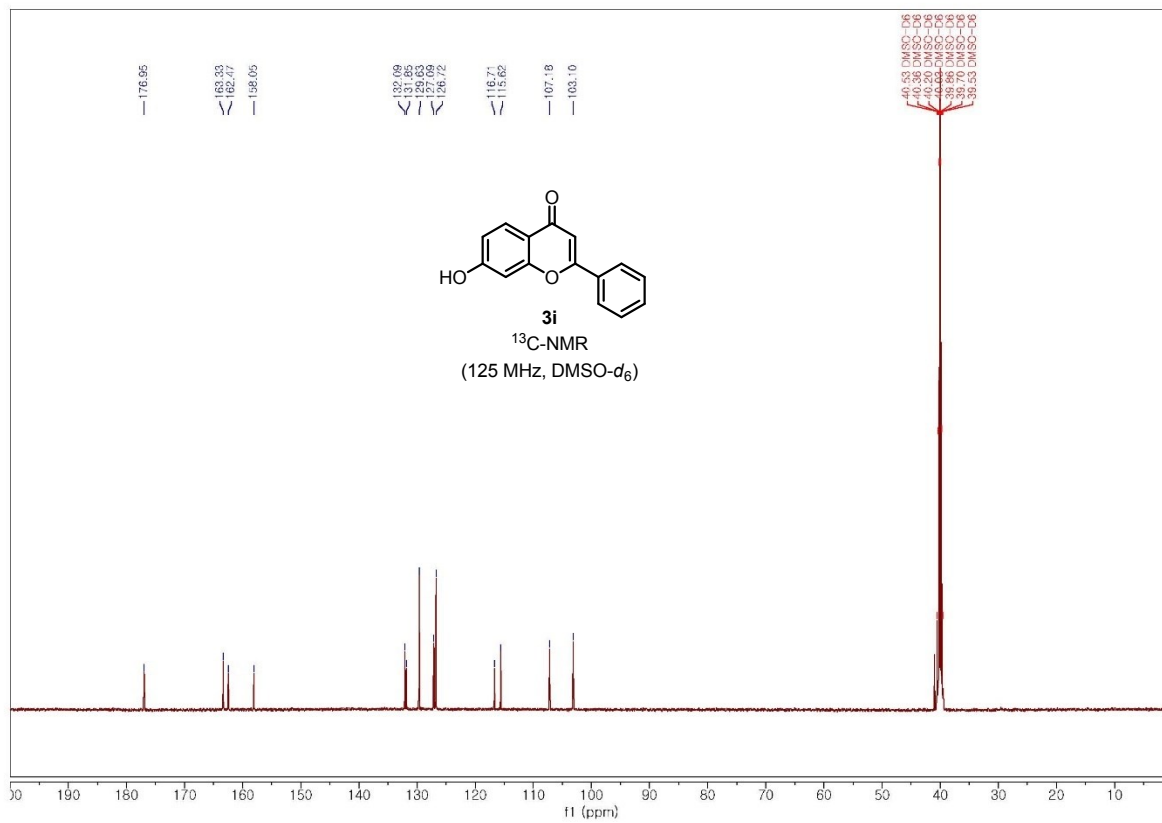
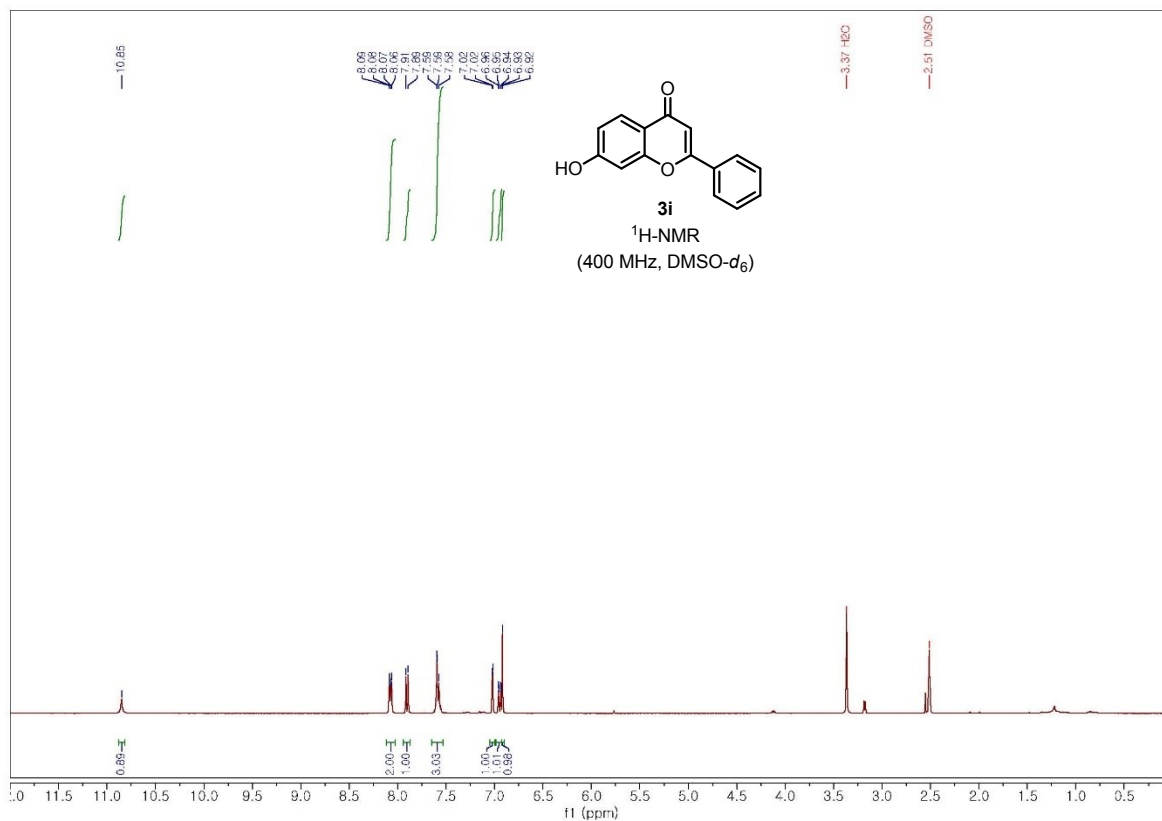
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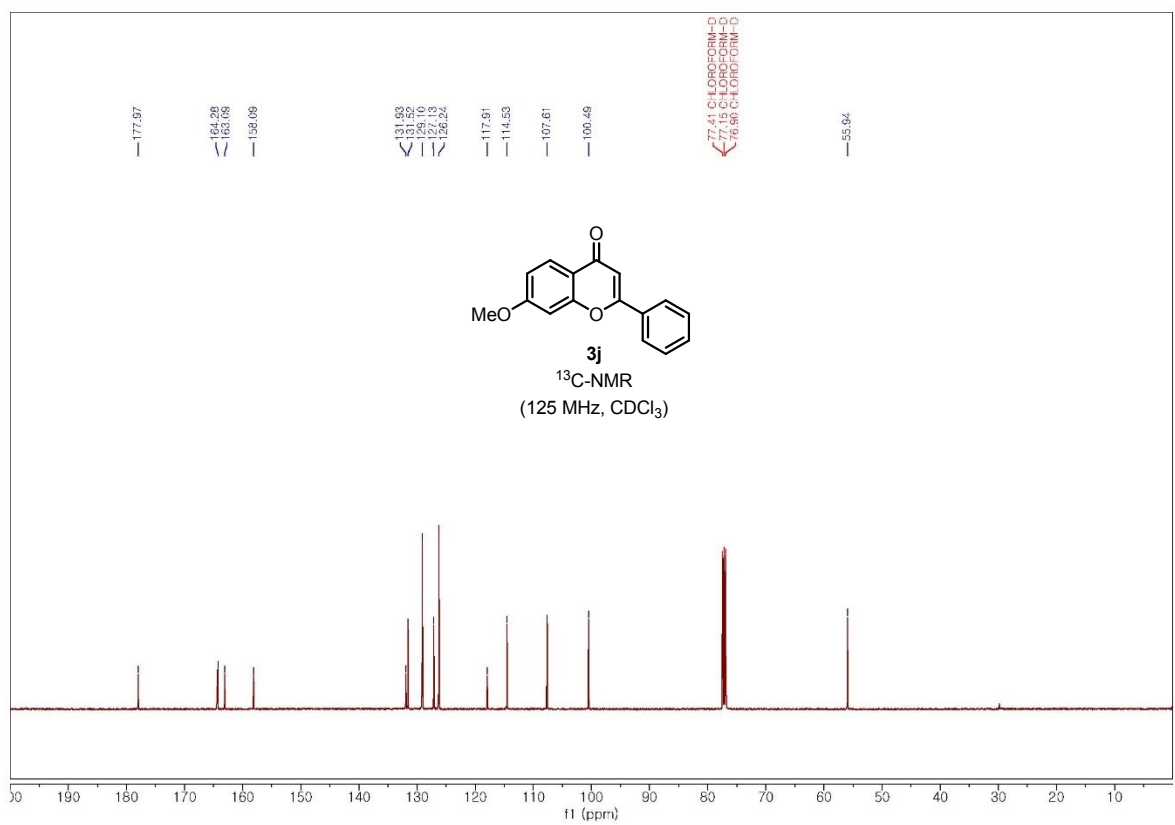
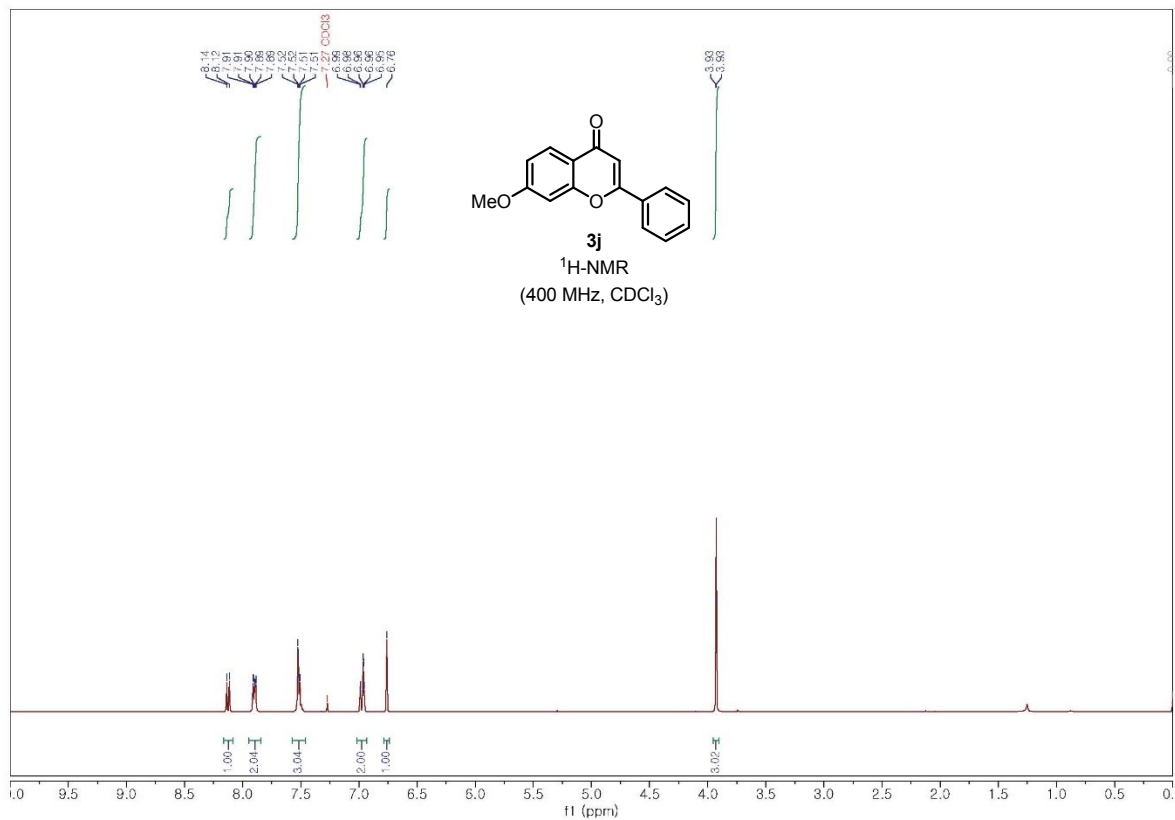
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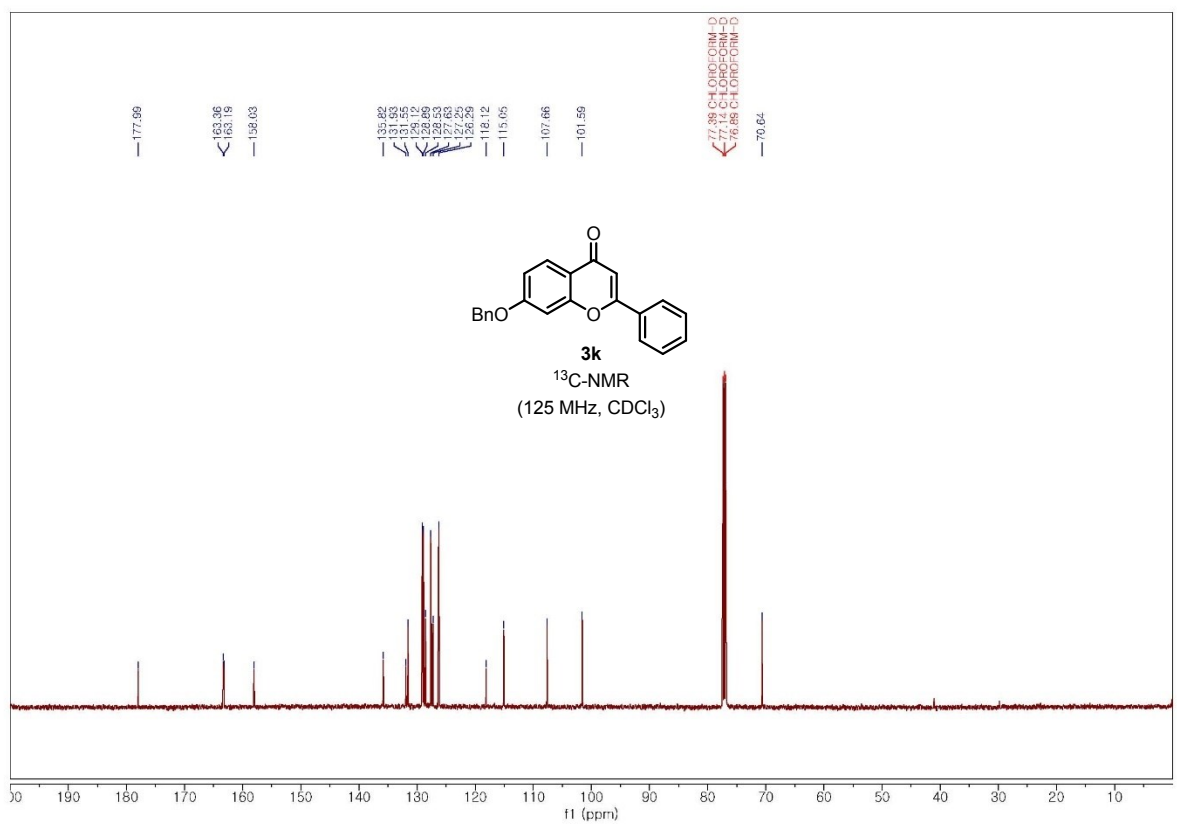
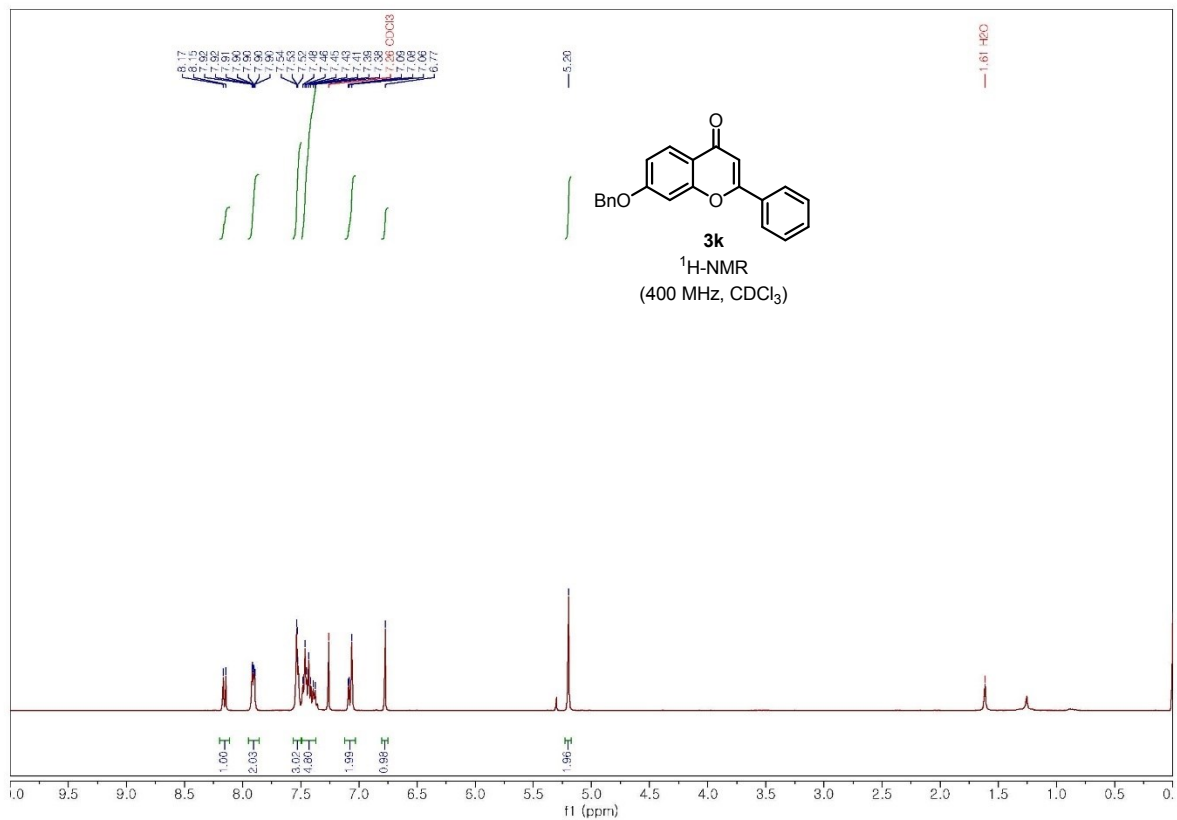
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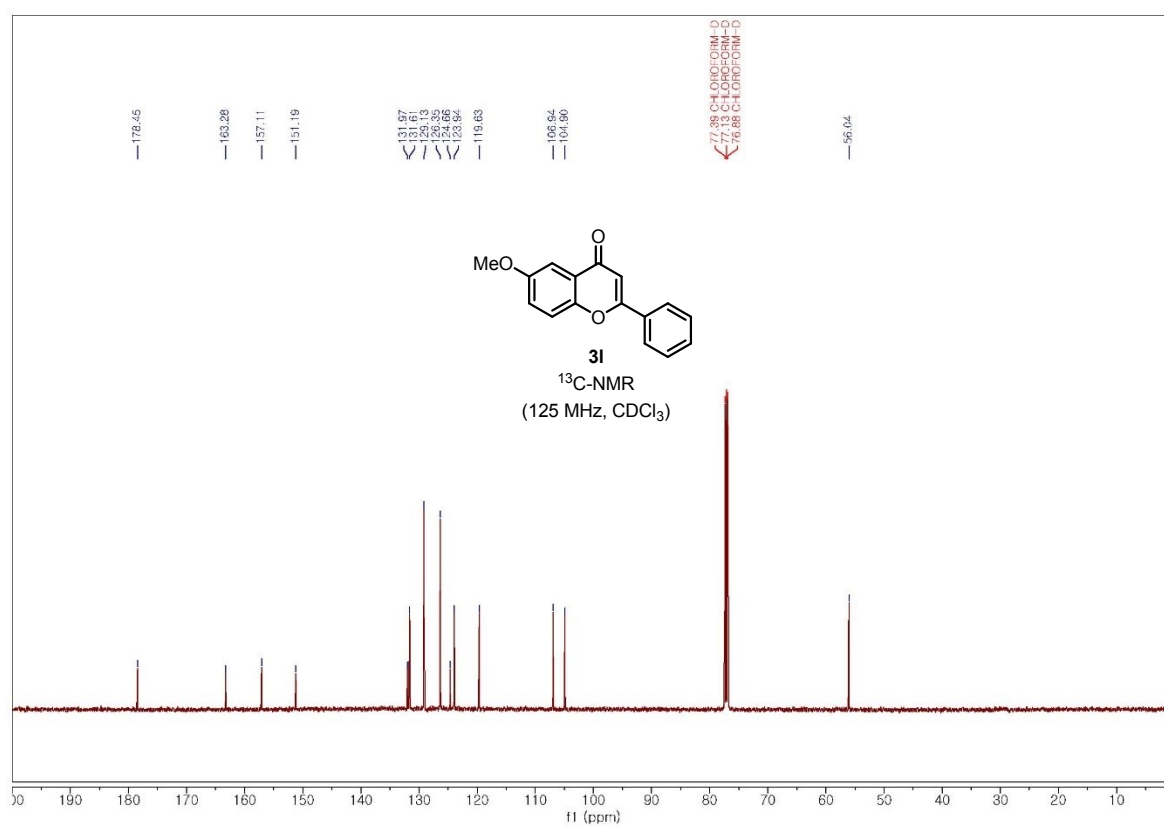
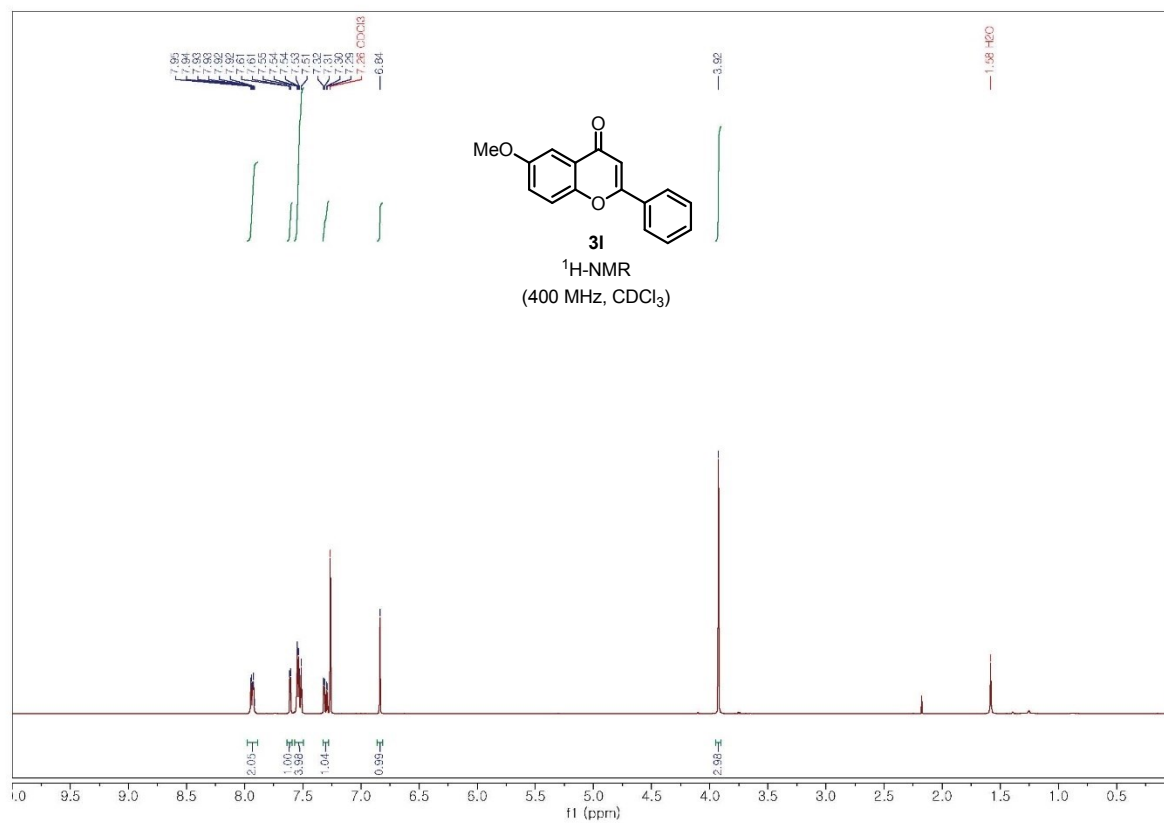
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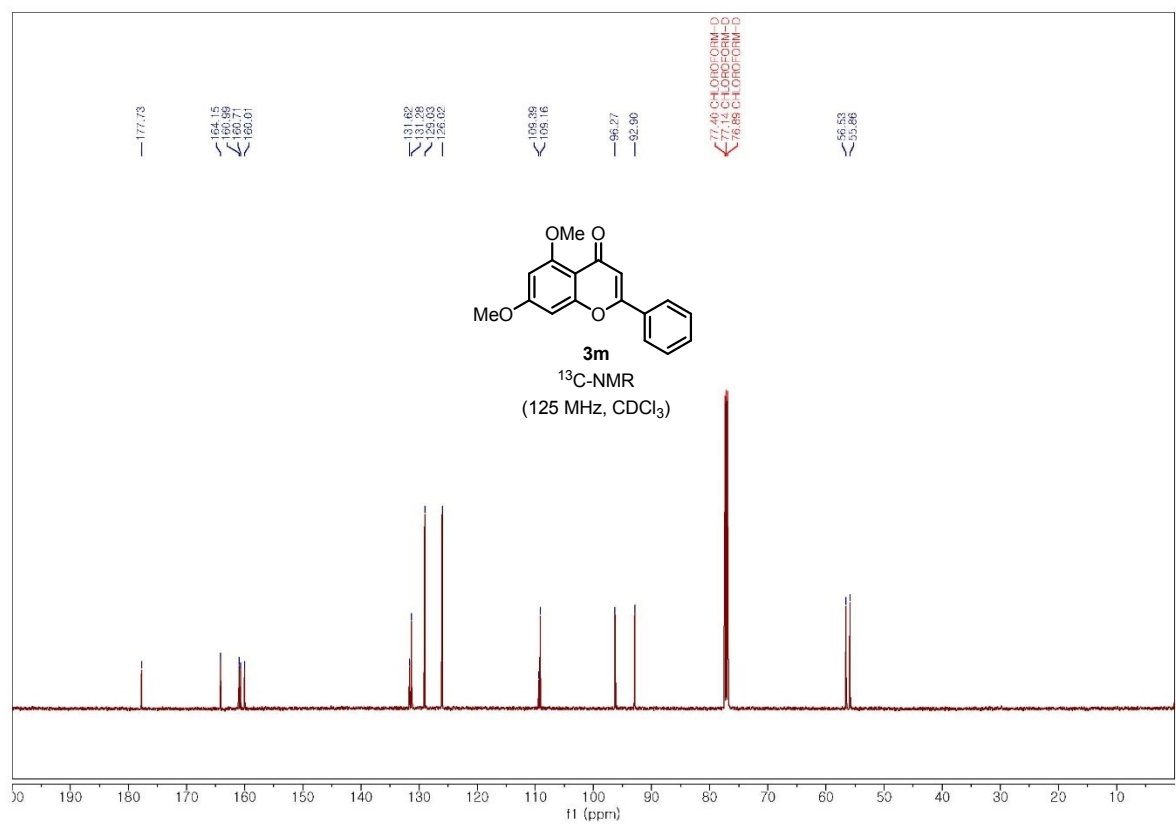
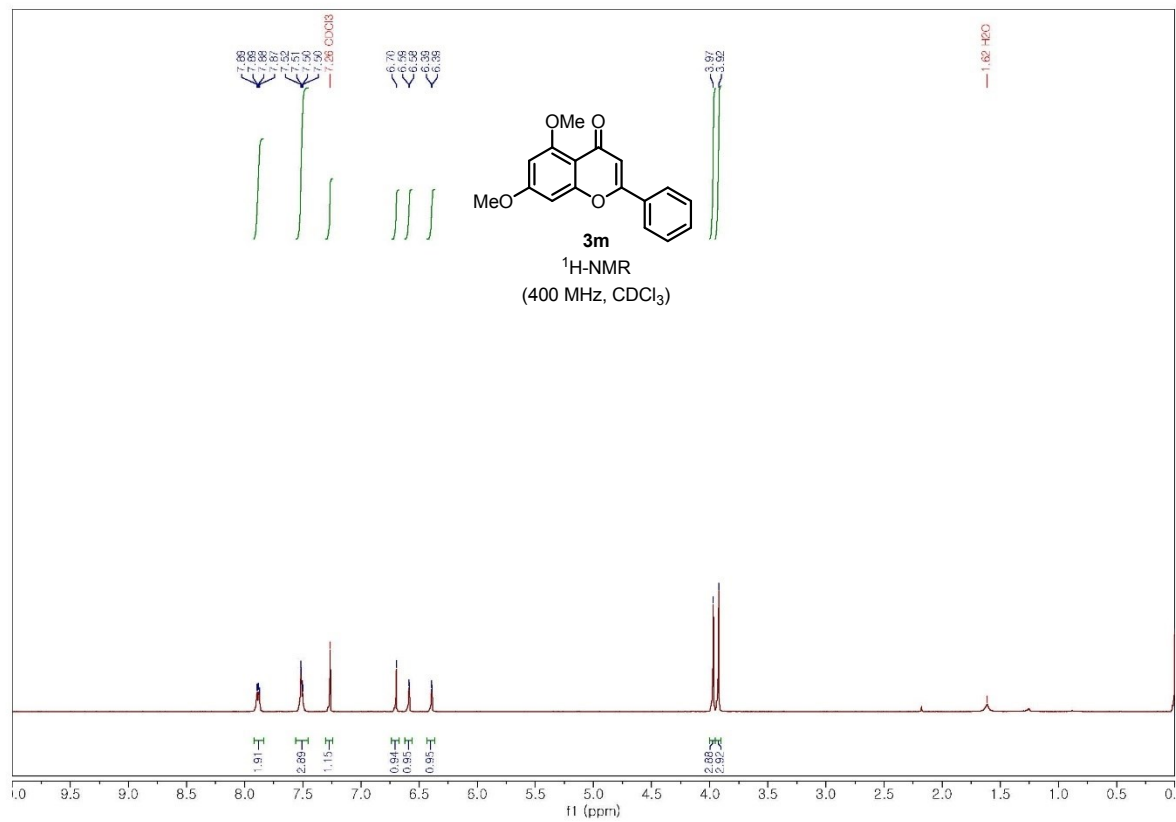
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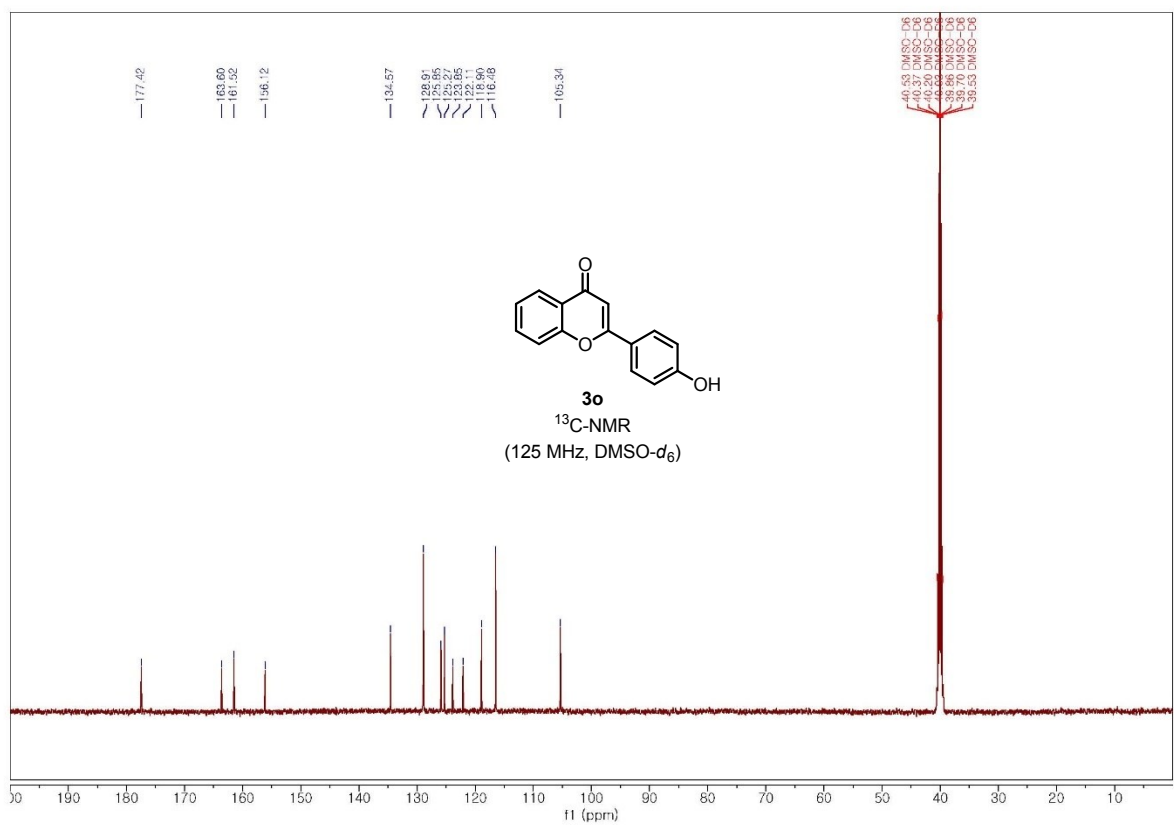
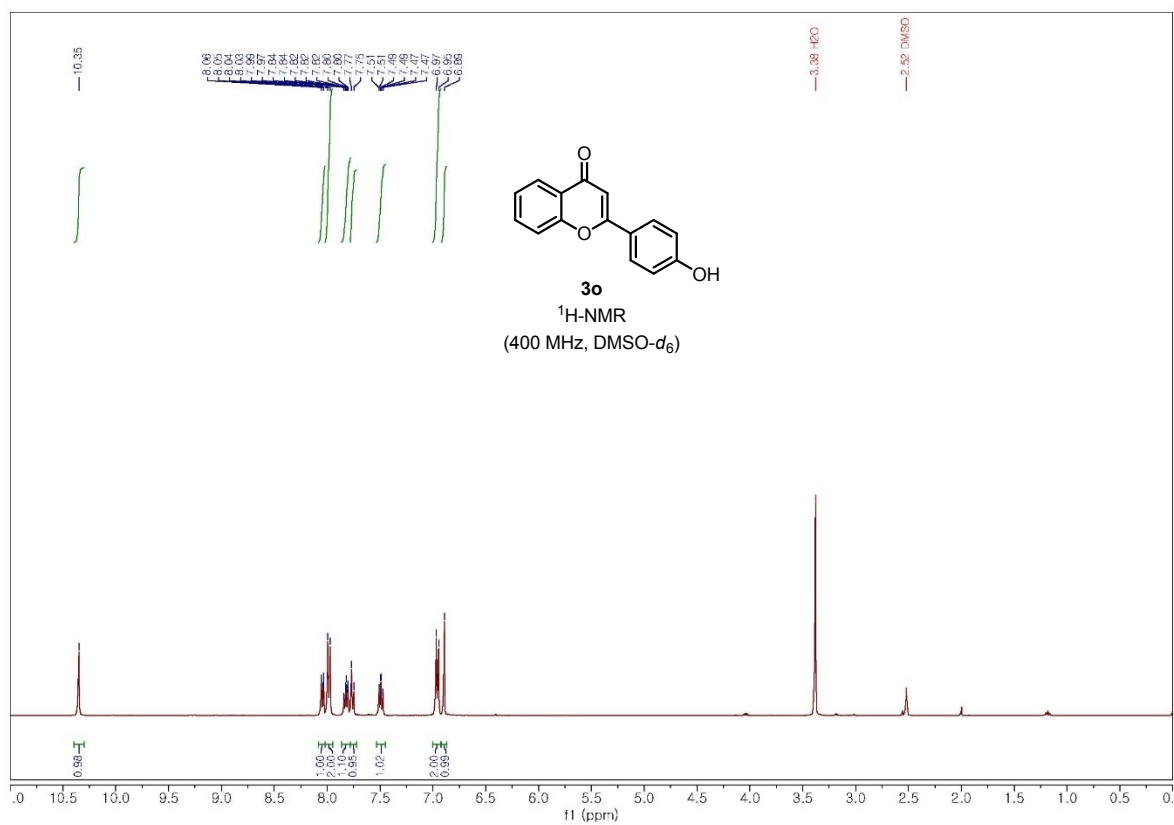
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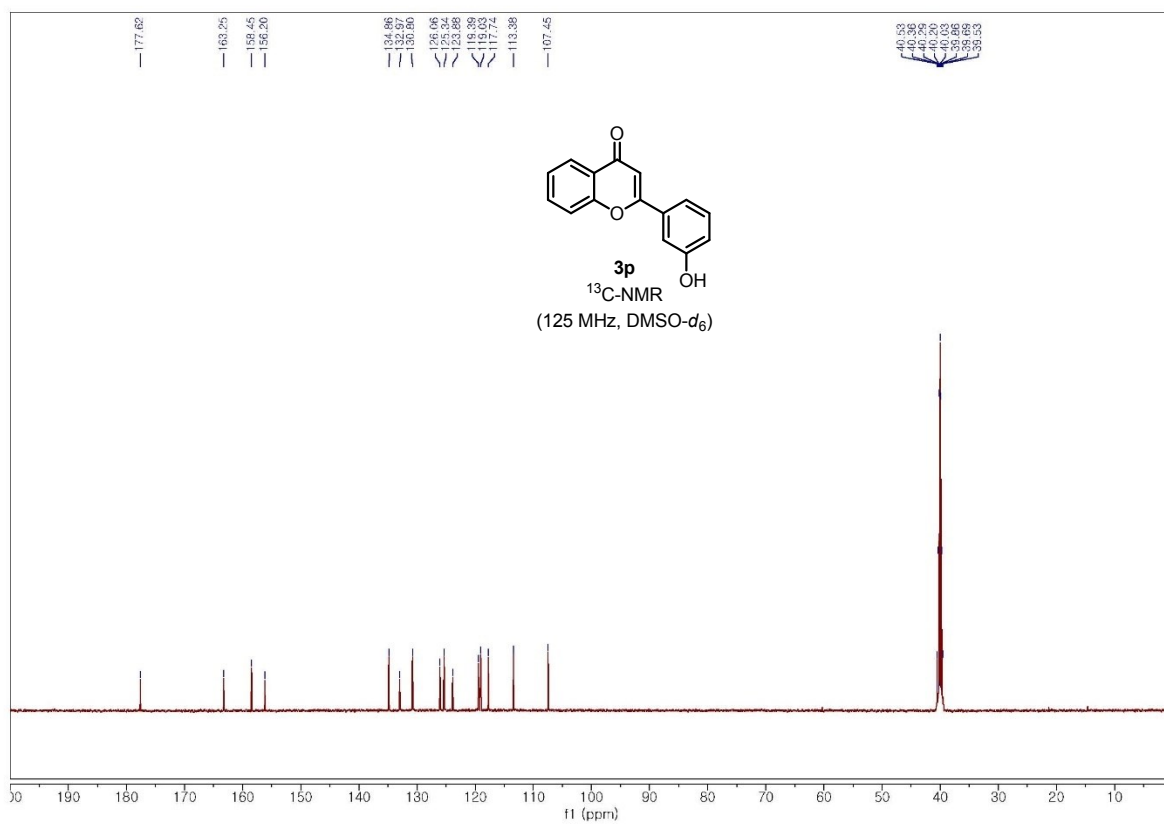
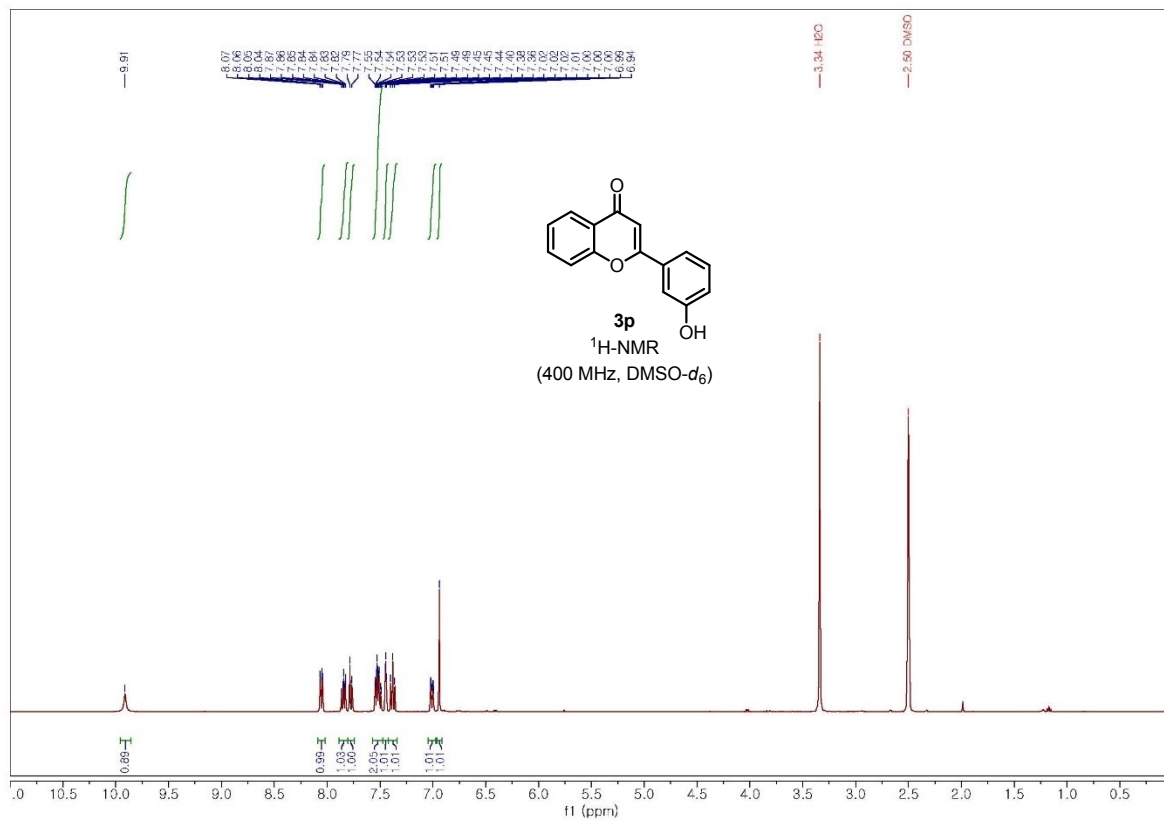
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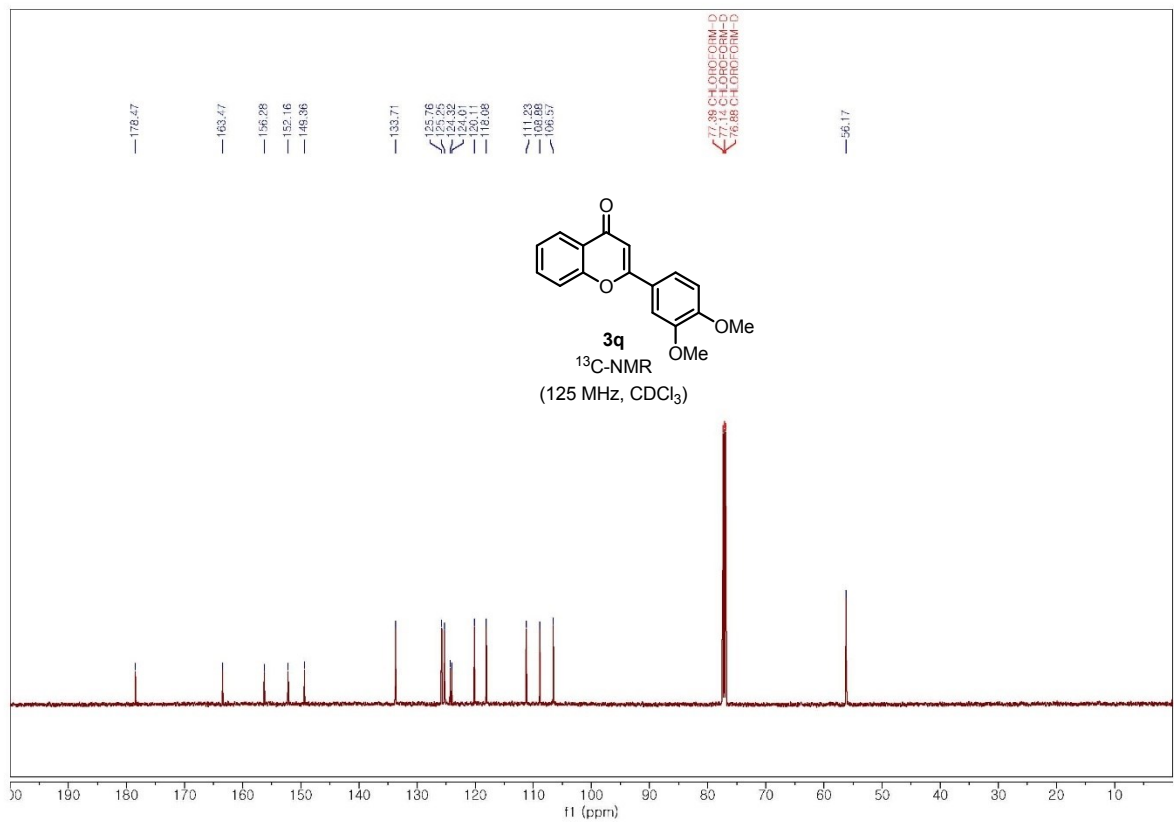
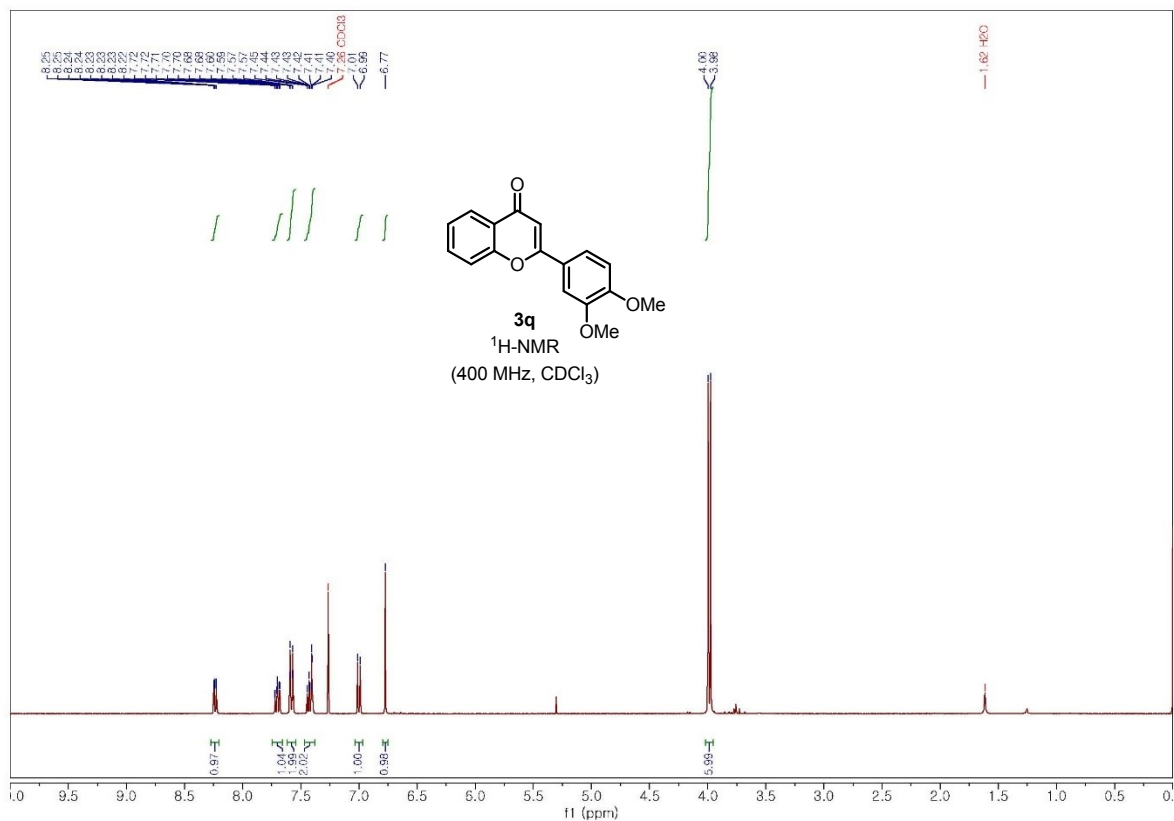
2-(4-hydroxyphenyl)-4H-chromen-4-one **3o**



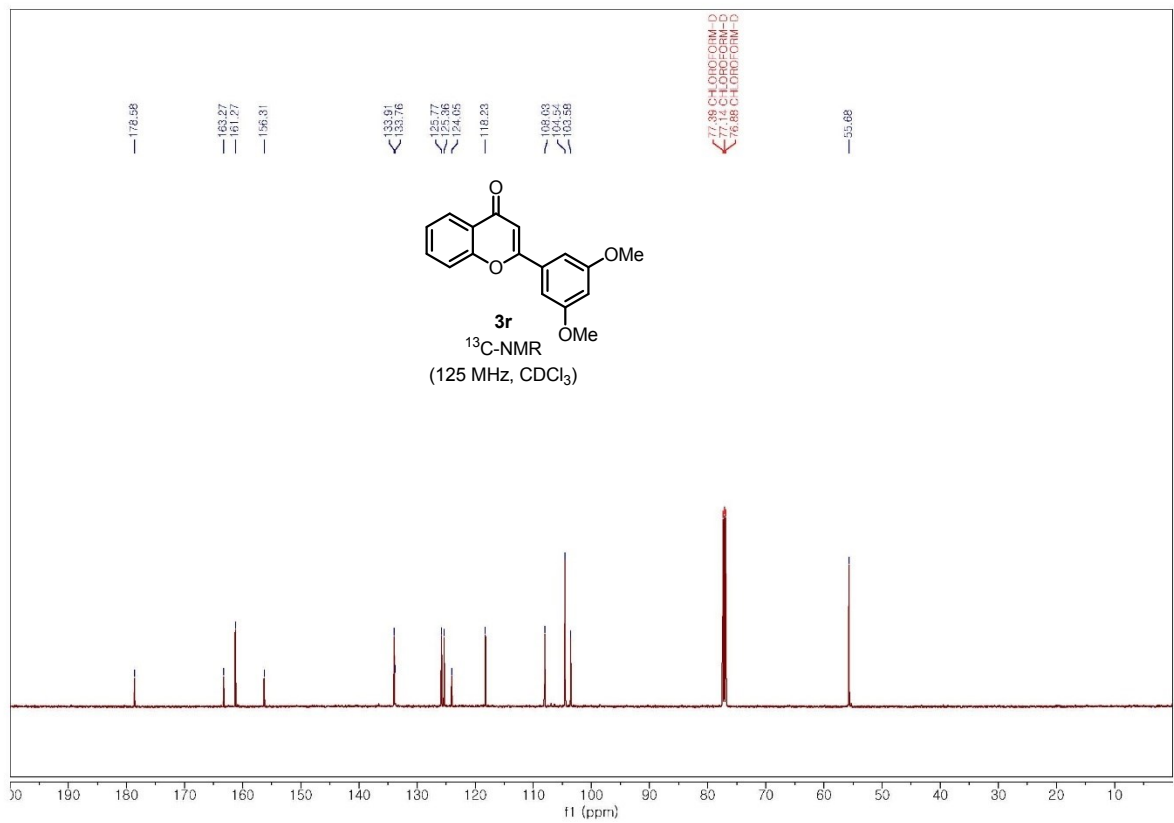
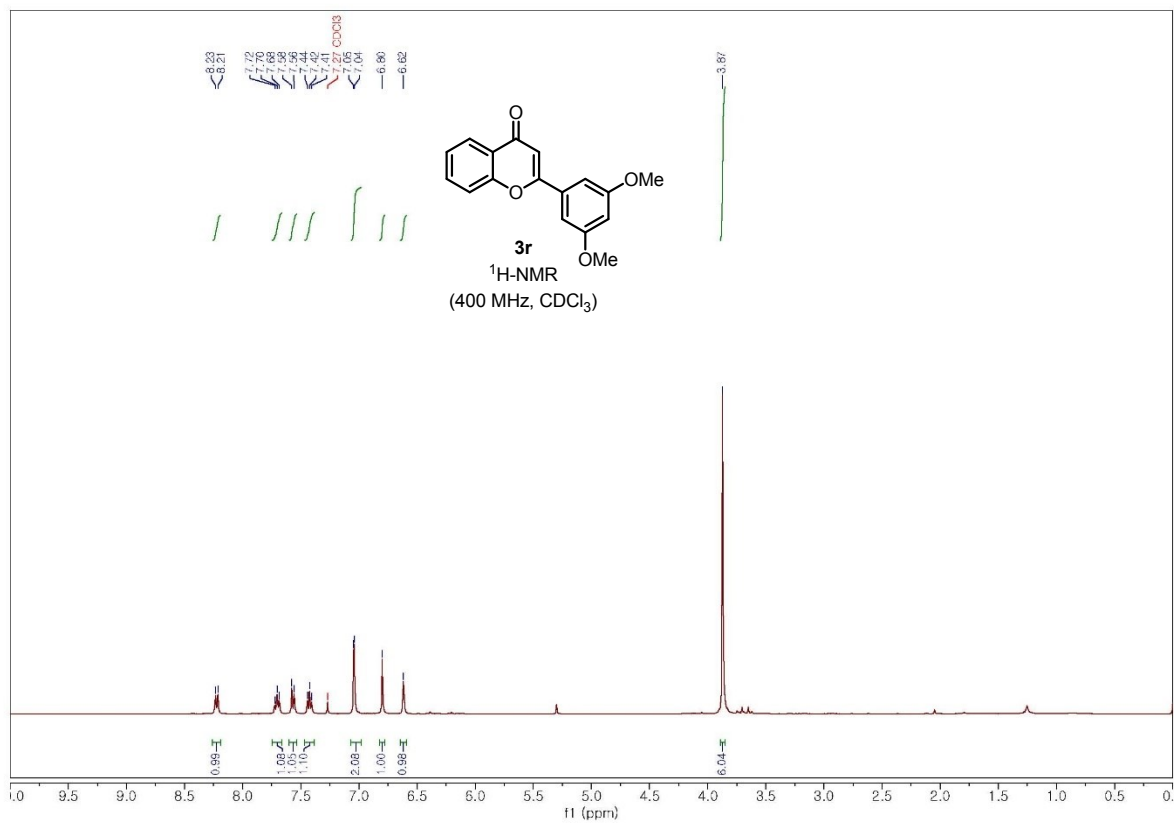
2-(3-hydroxyphenyl)-4H-chromen-4-one **3p**



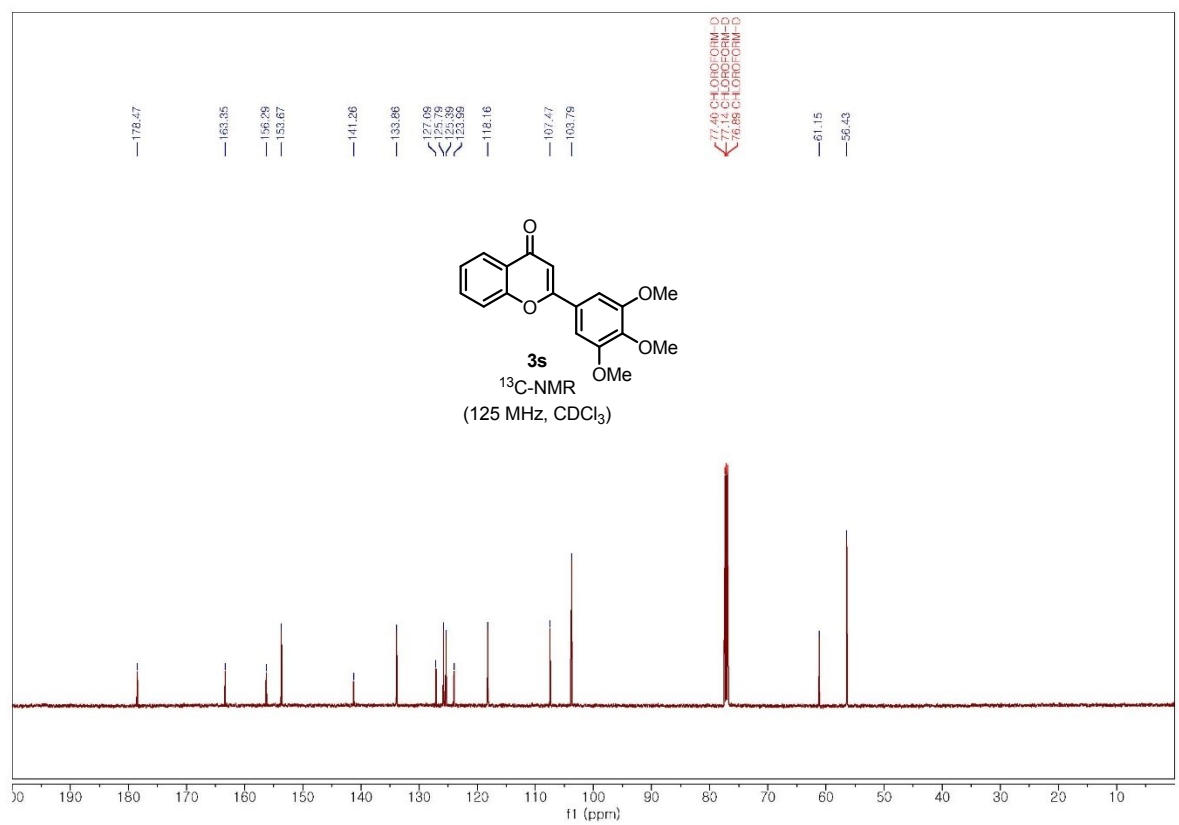
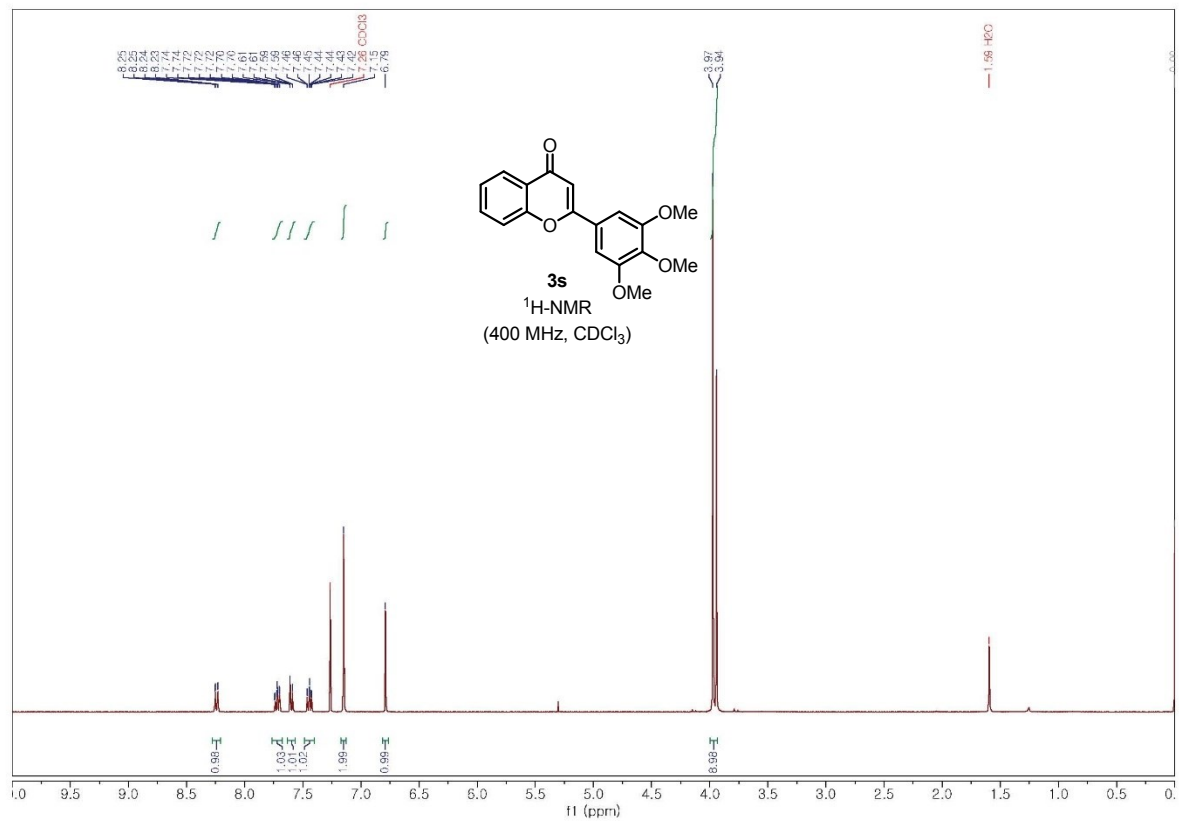
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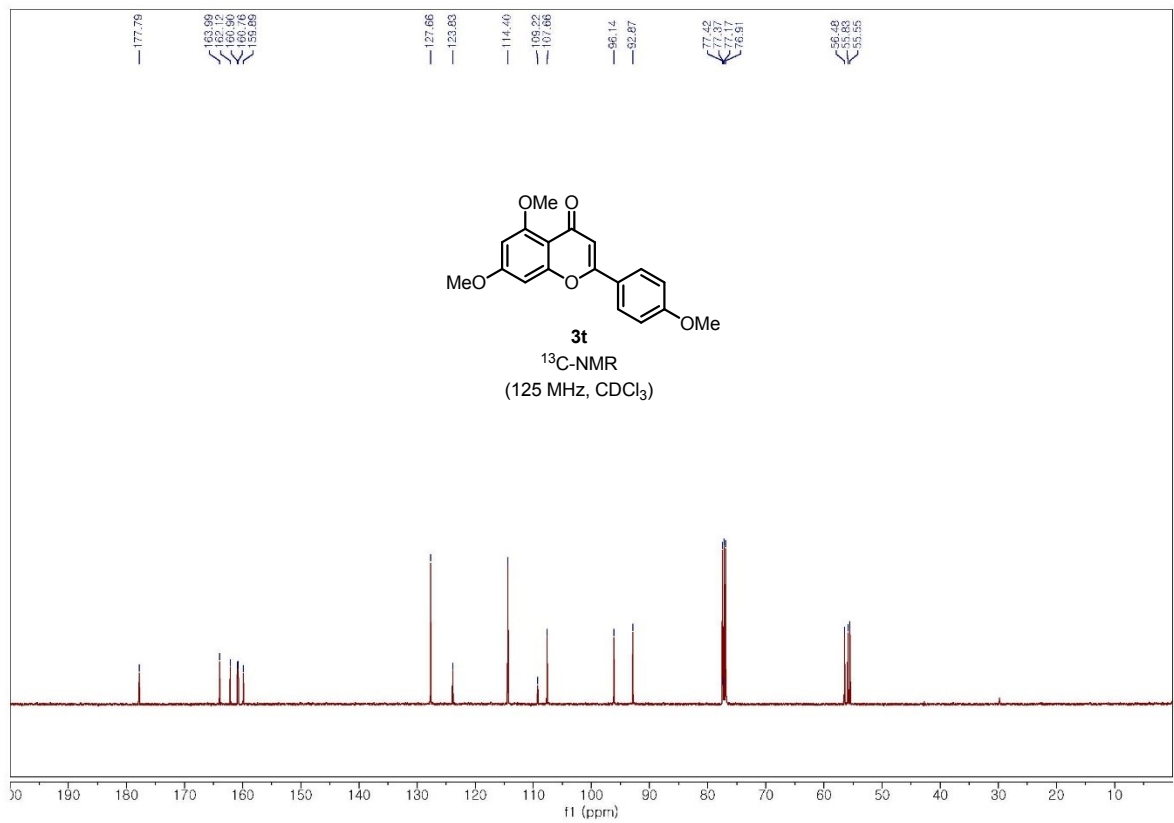
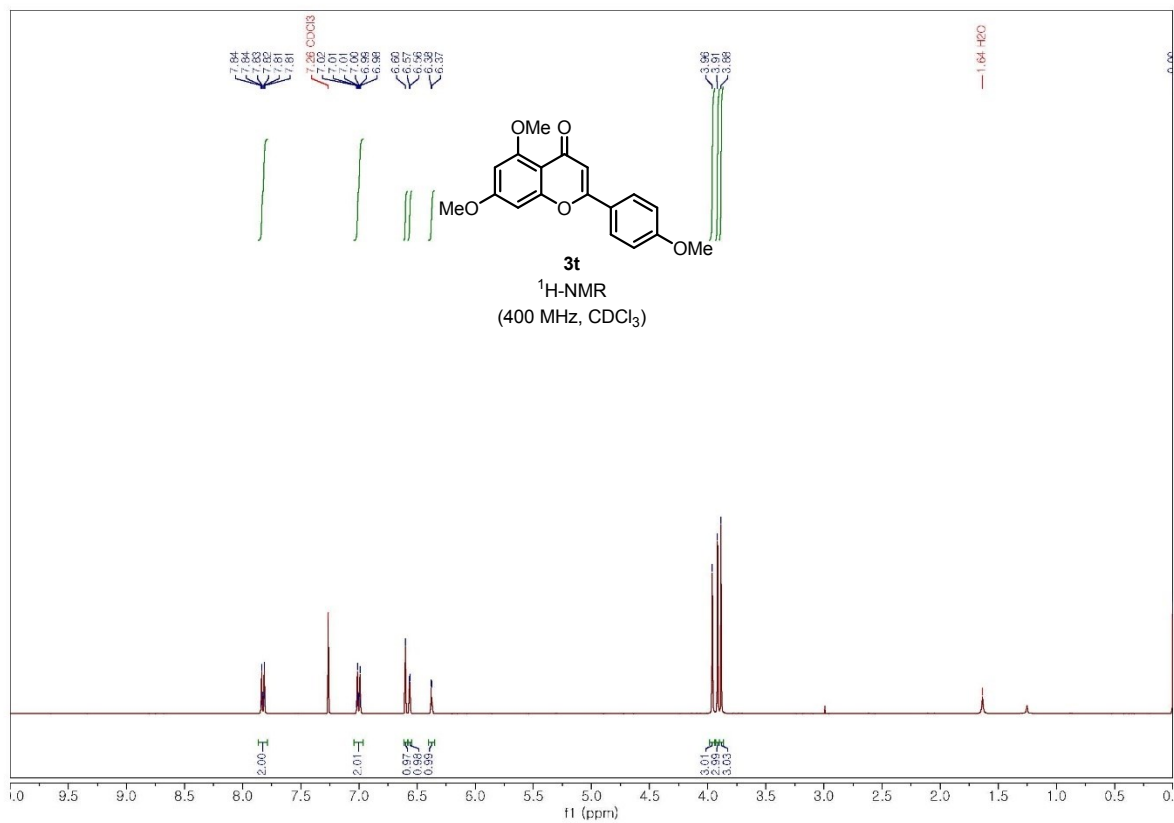
2-(3,5-dimethoxyphenyl)-4H-chromen-4-one **3r**



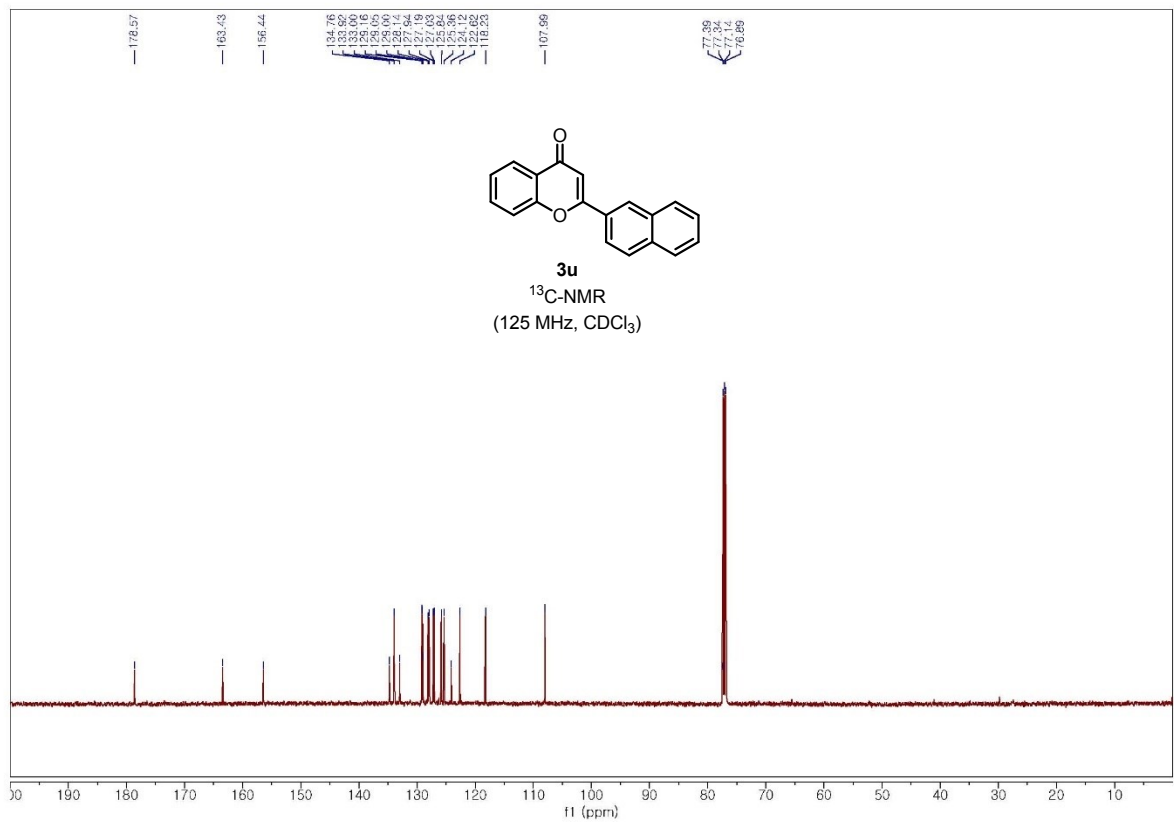
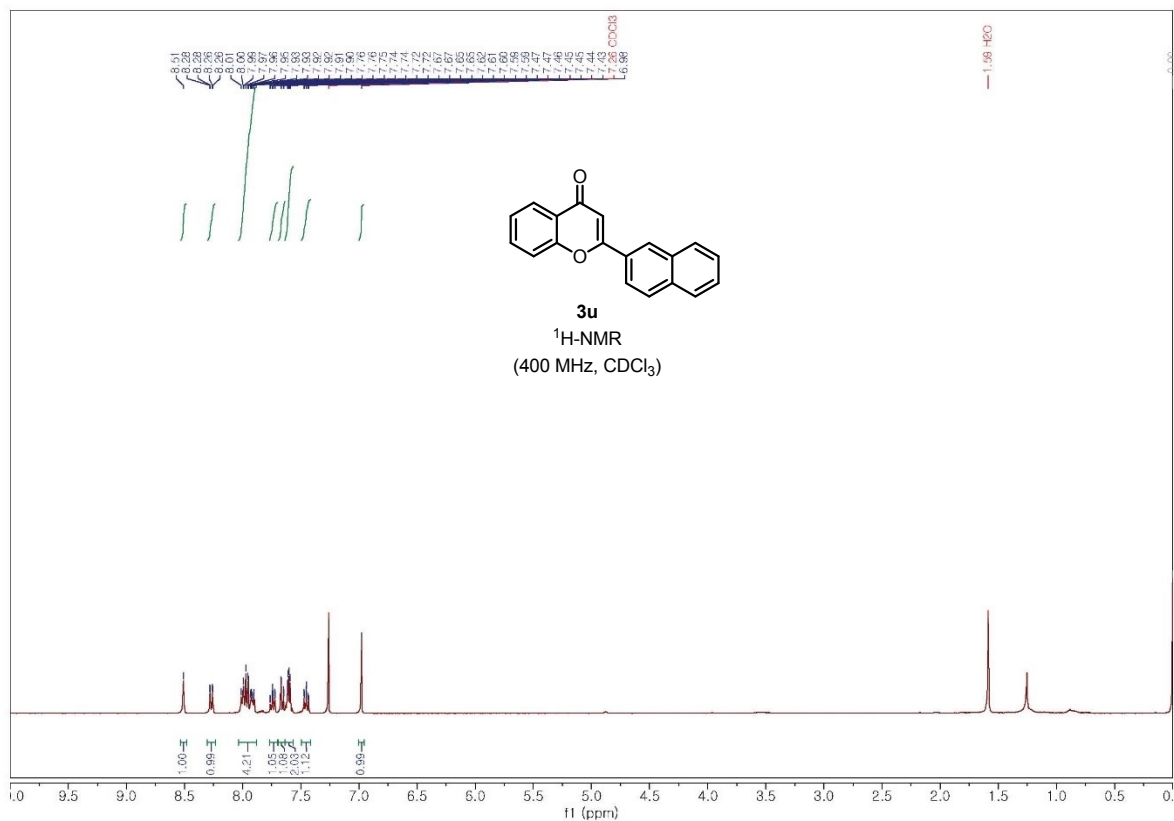
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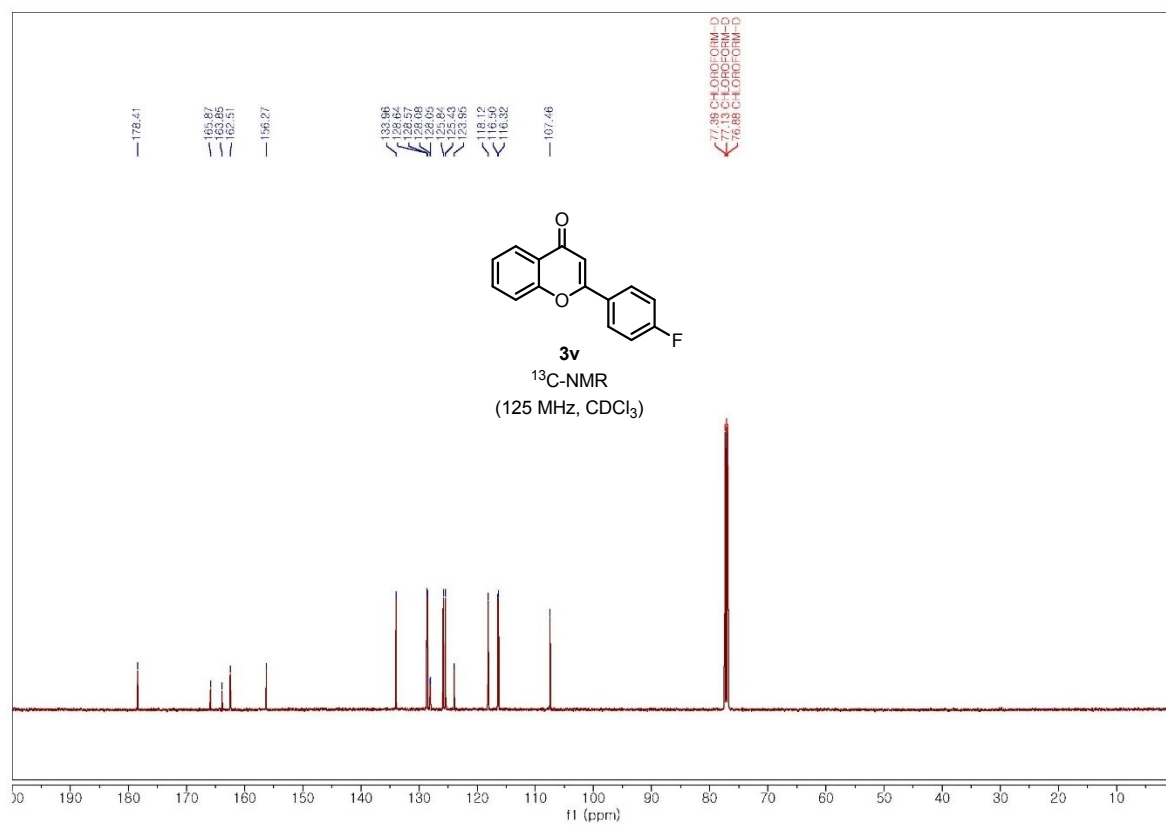
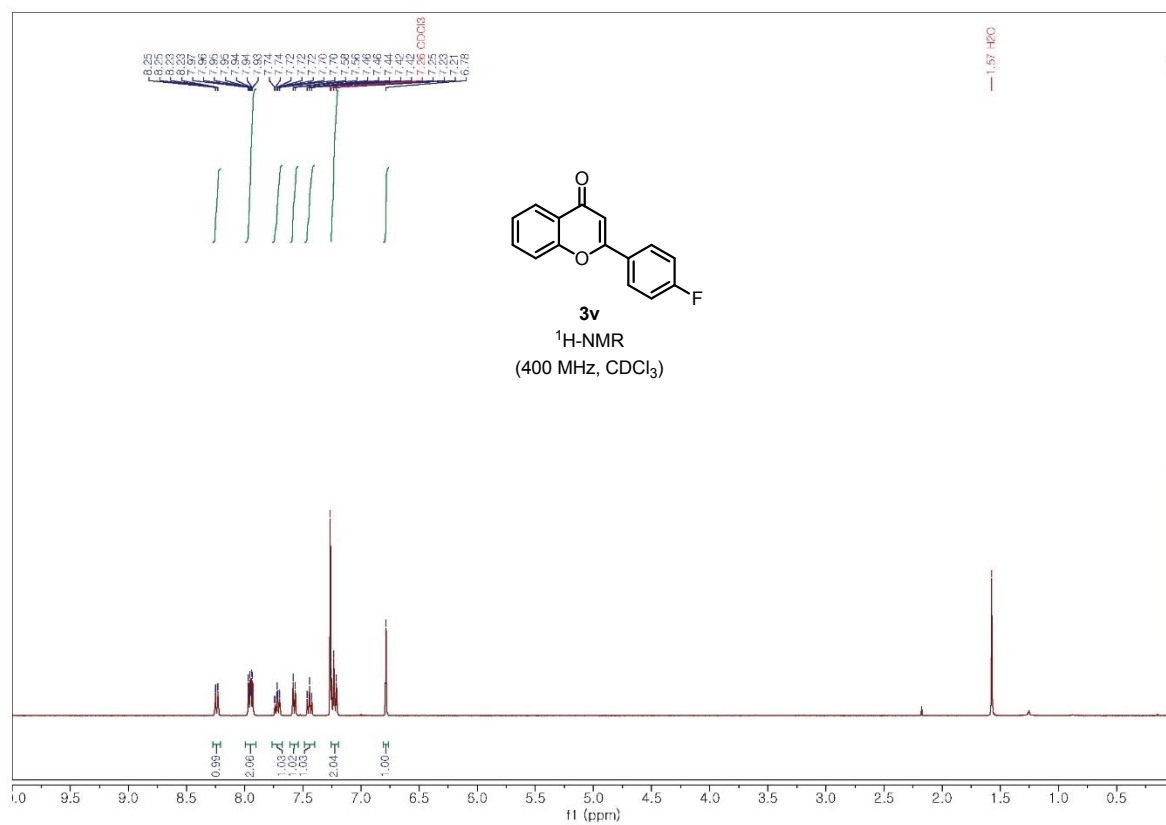
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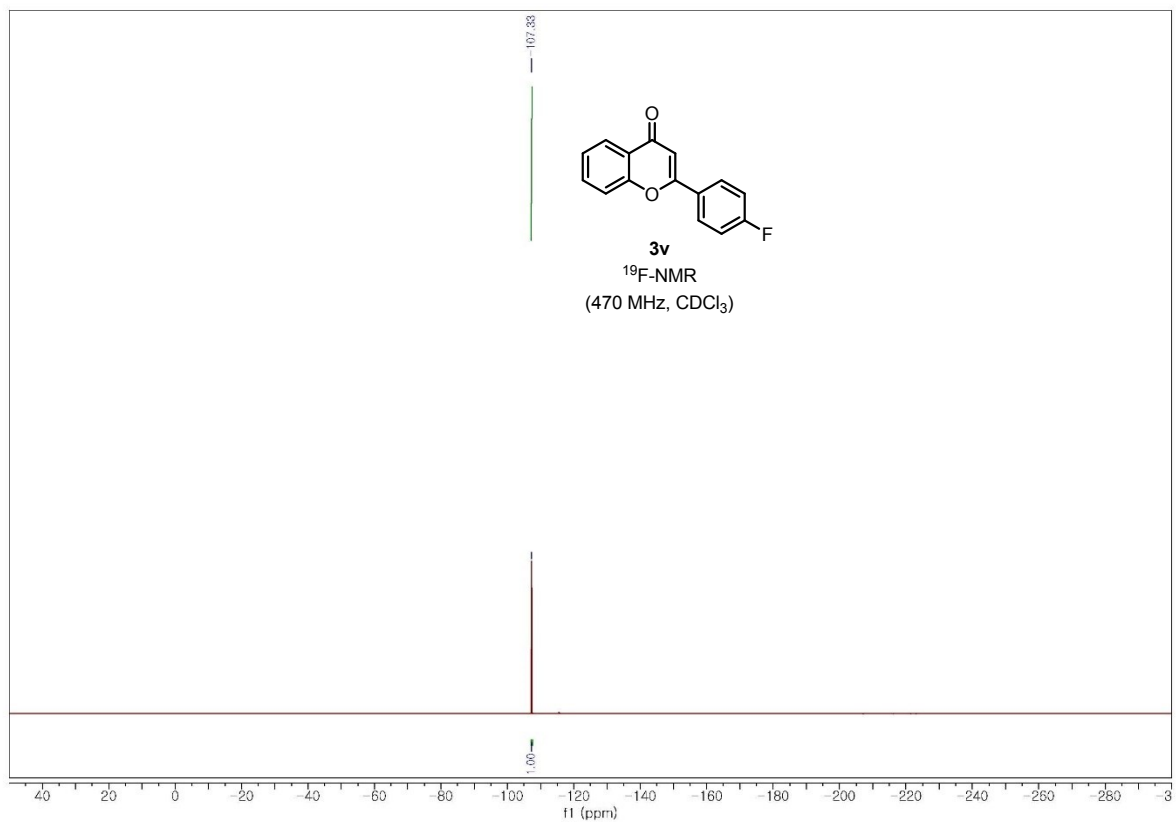


2-(naphthalen-2-yl)-4H-chromen-4-one **3u**

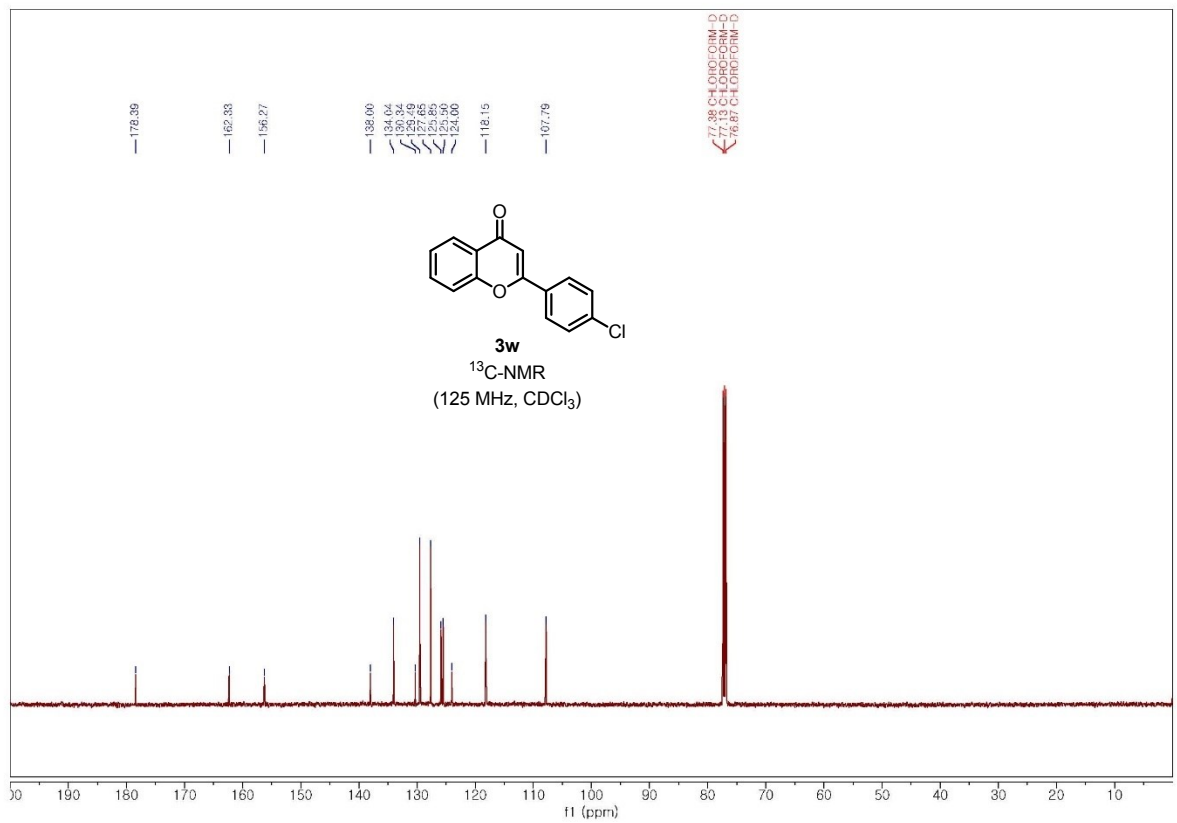
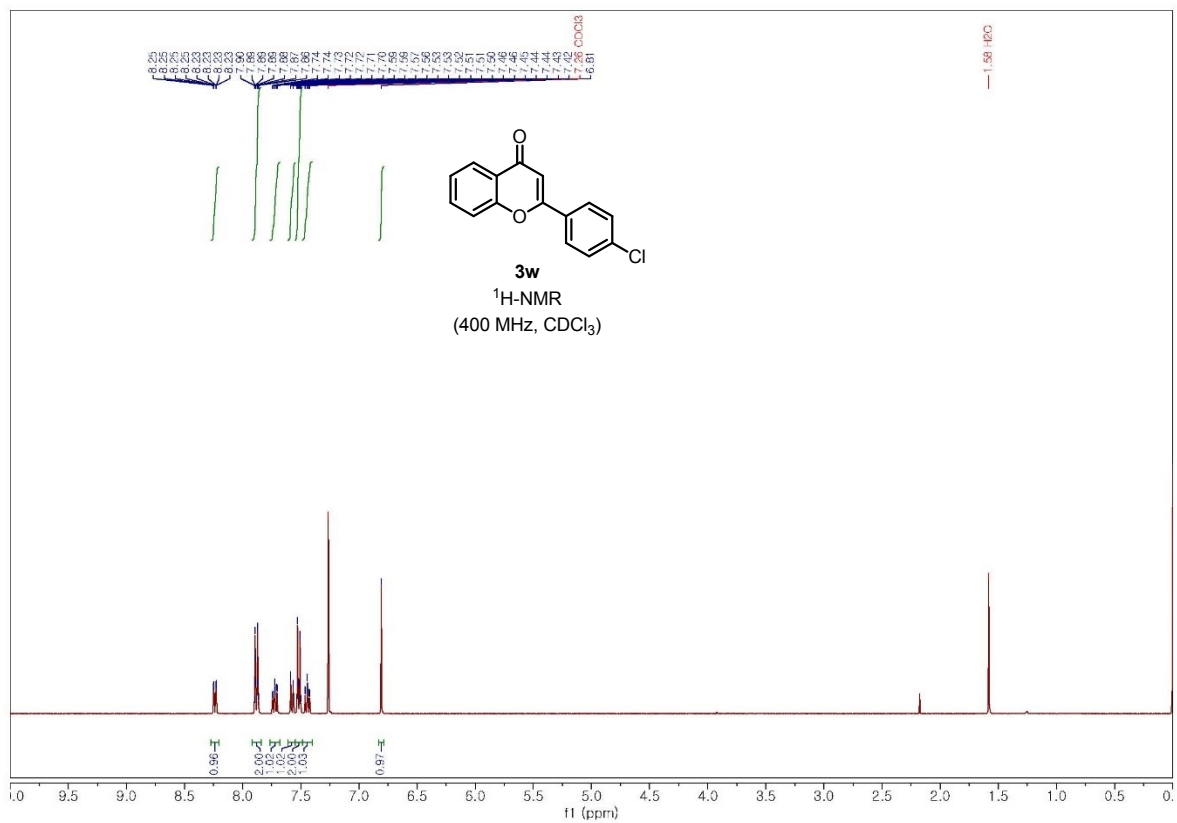


2-(4-fluorophenyl)-4H-chromen-4-one **3v**

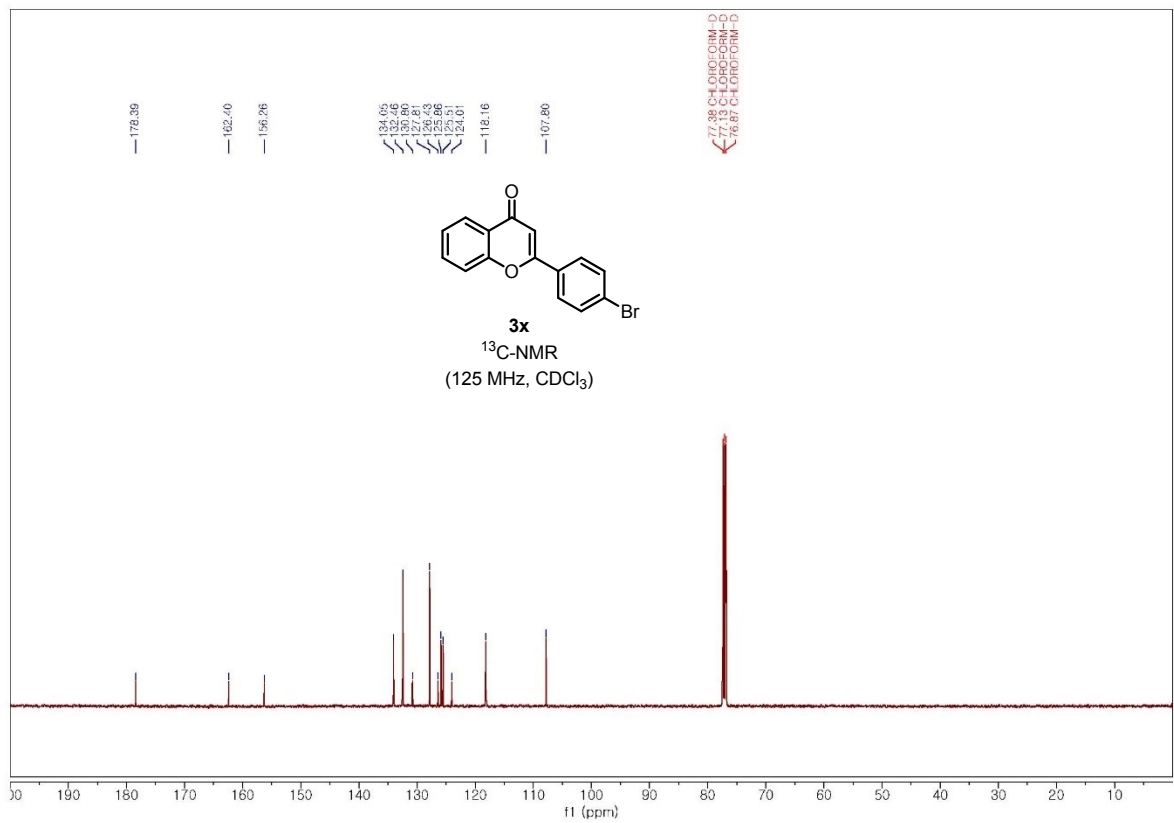
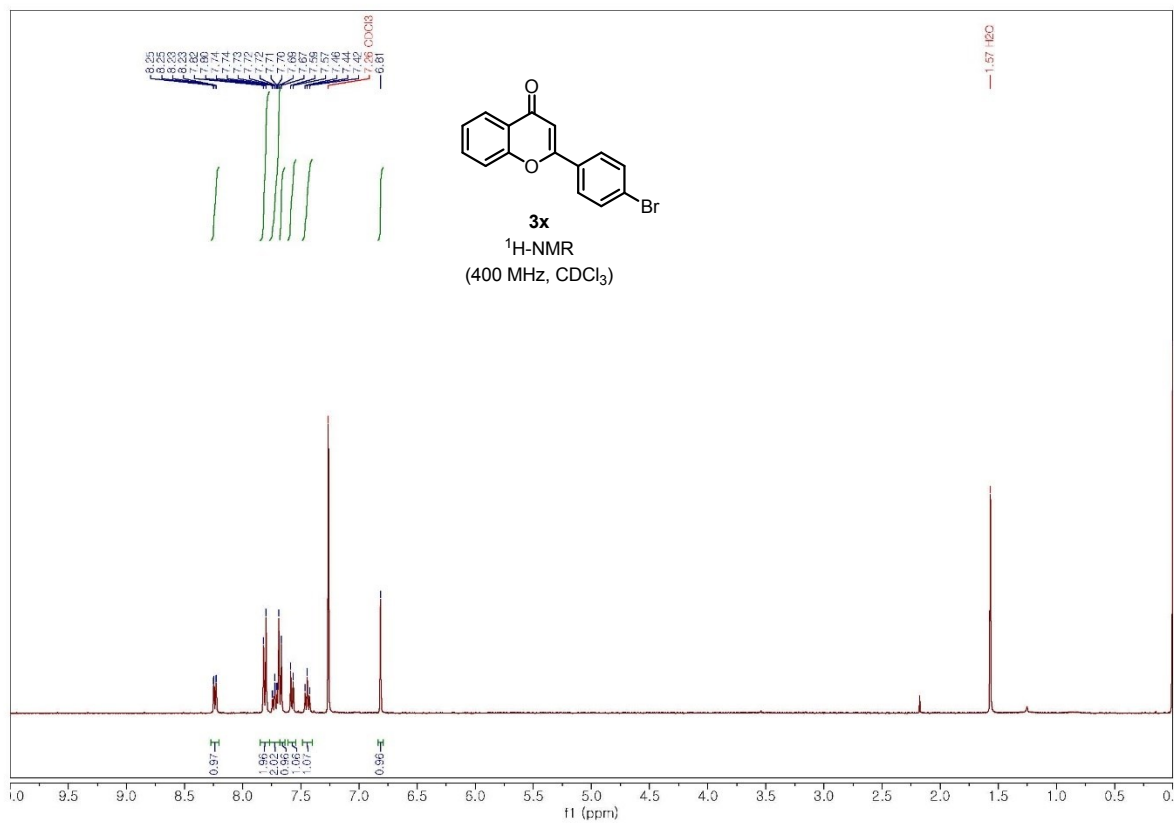




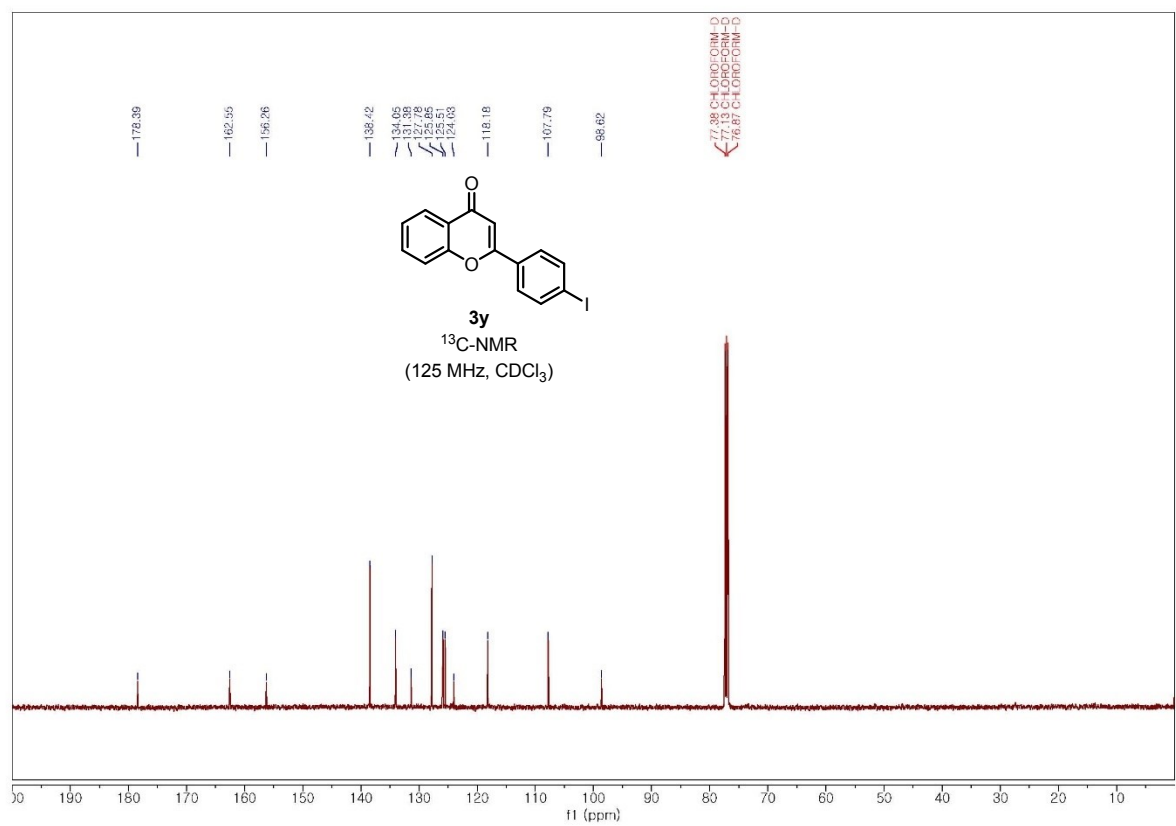
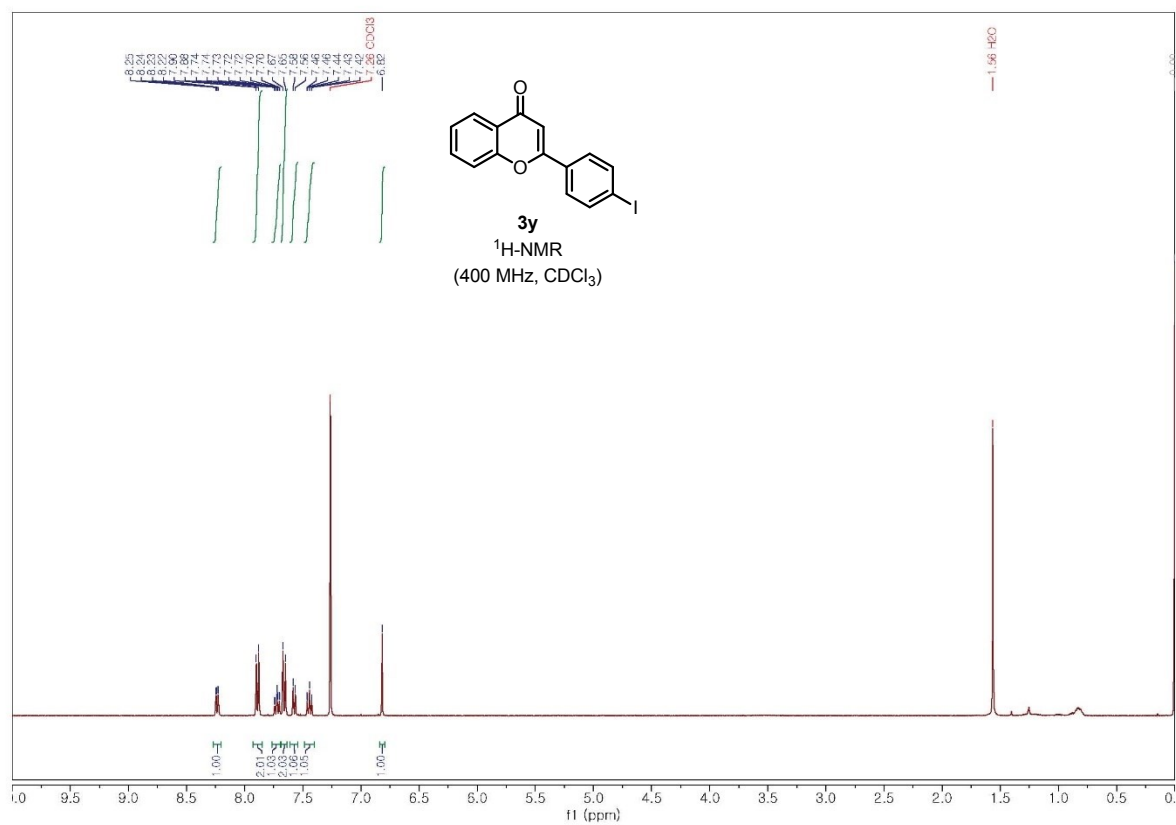
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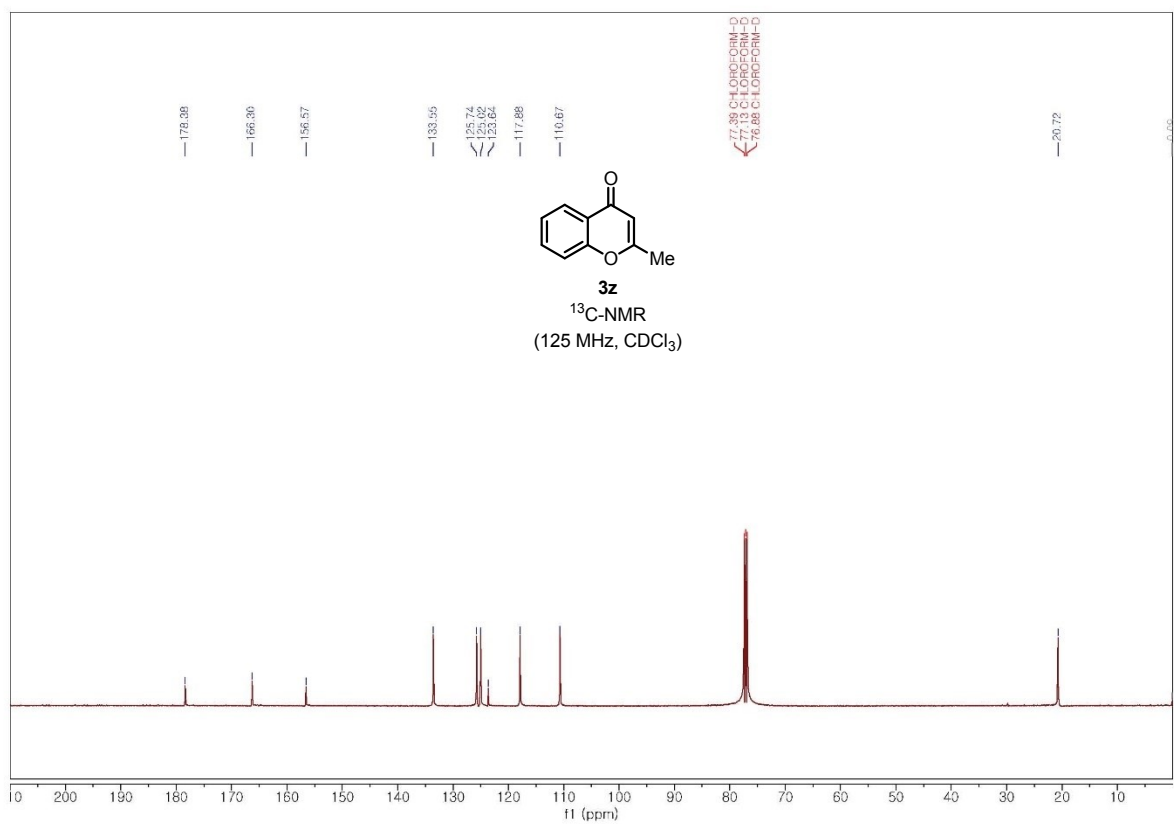
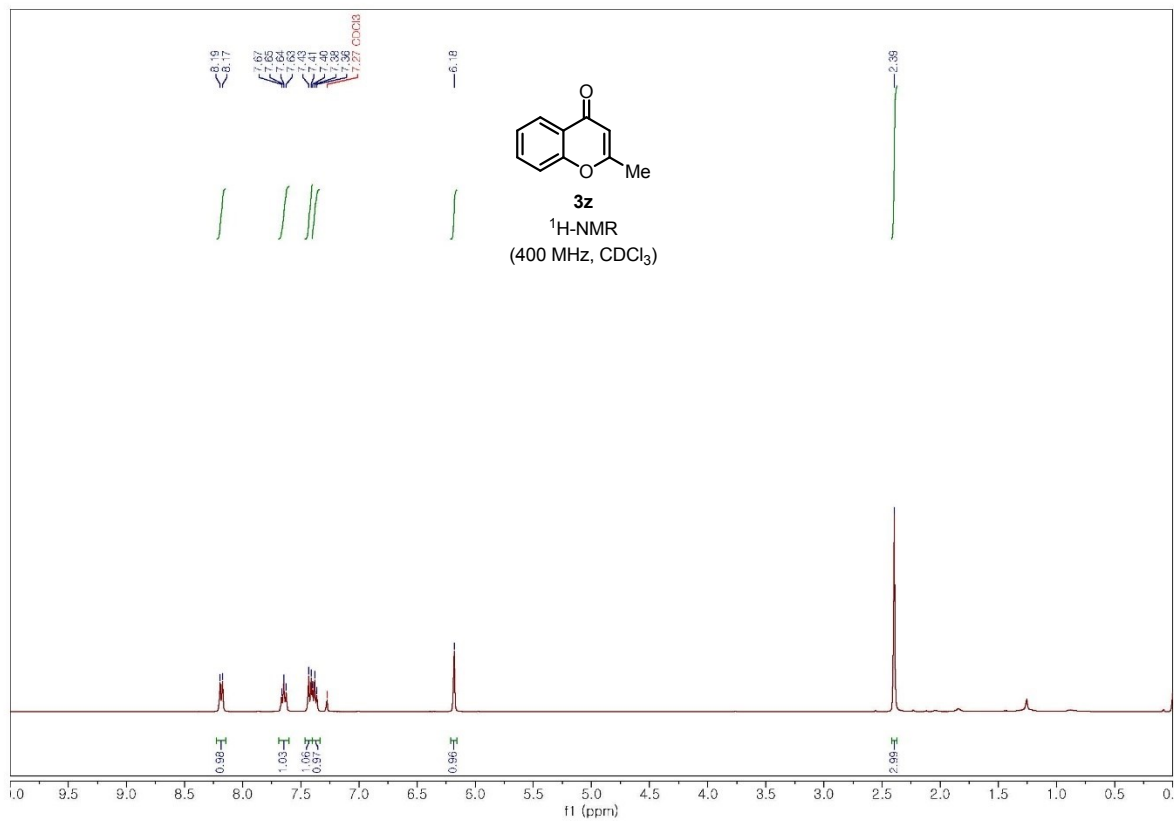
2-(4-bromophenyl)-4H-chromen-4-one **3x**



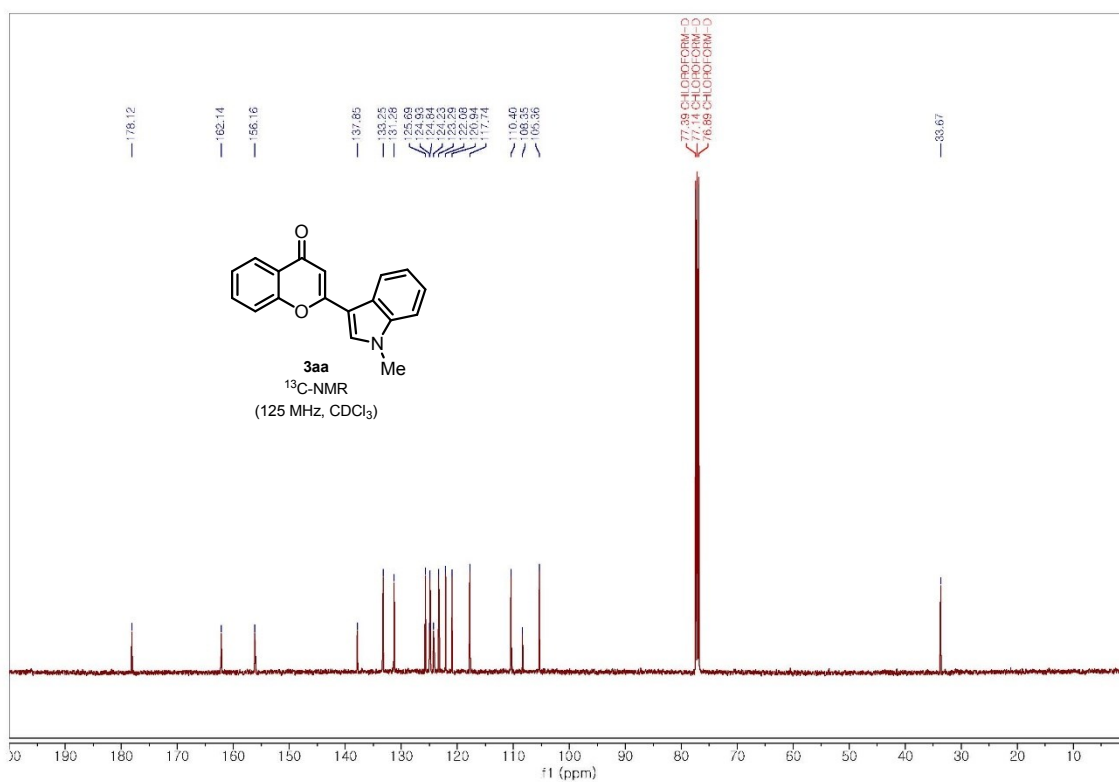
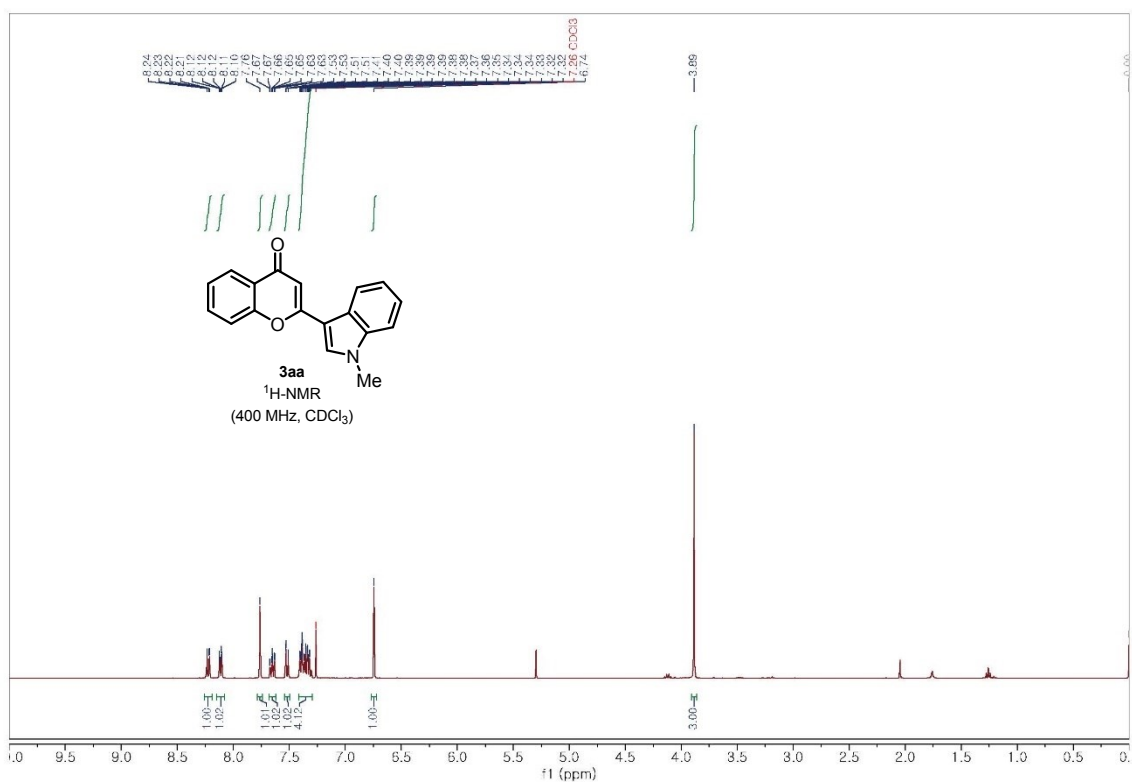
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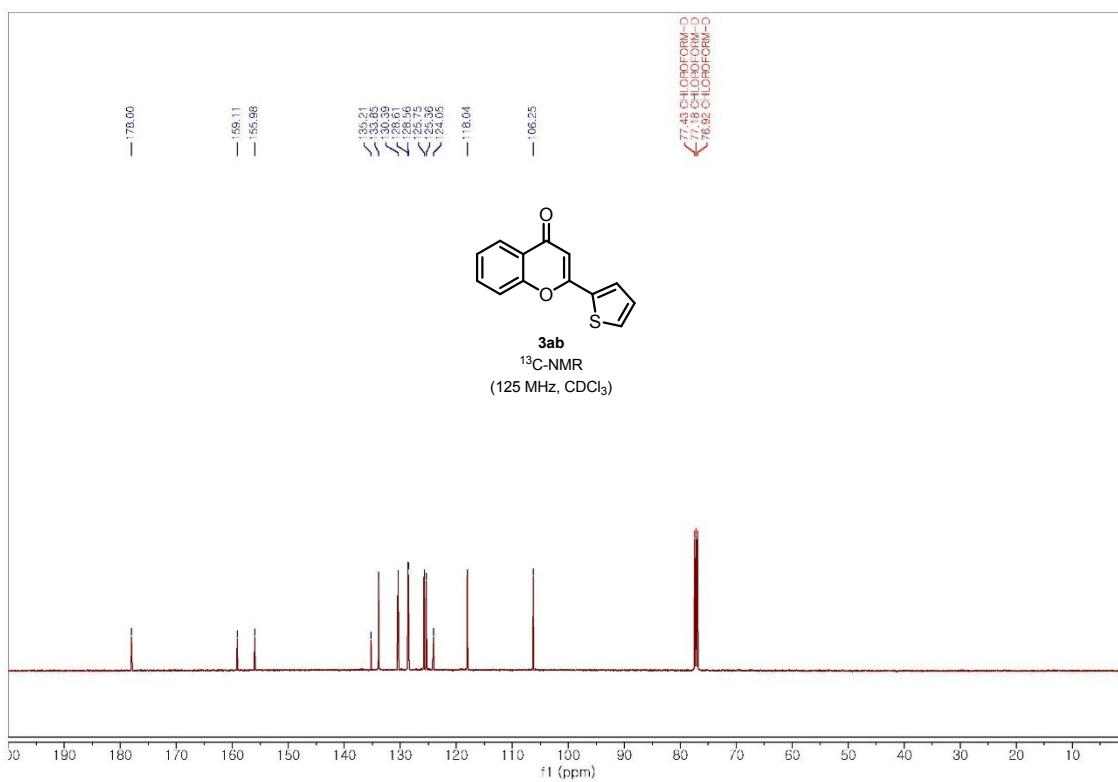
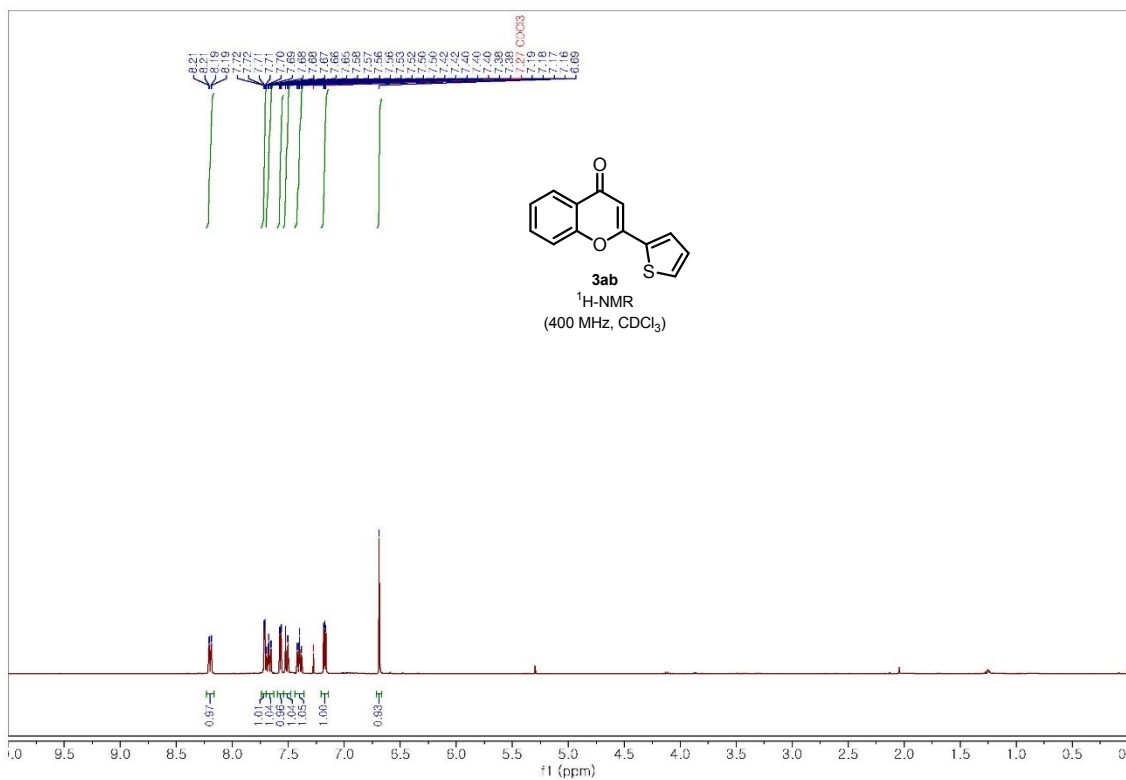
2-methyl-4H-chromen-4-one **3z**



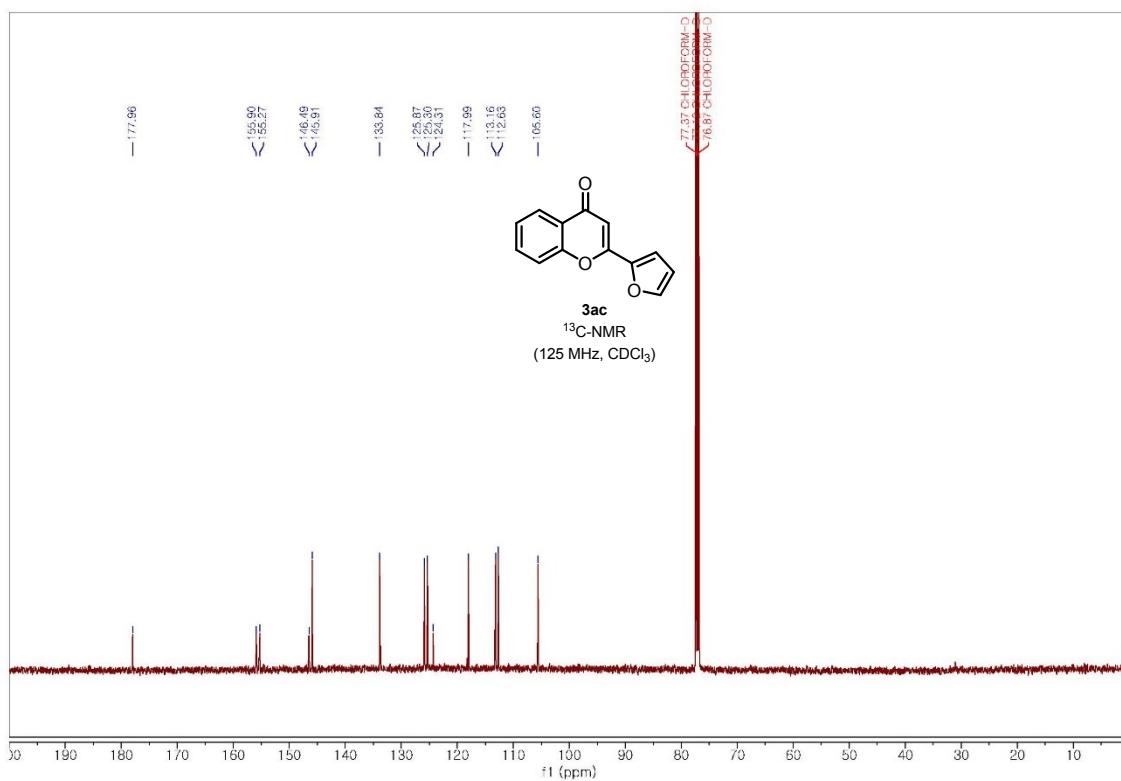
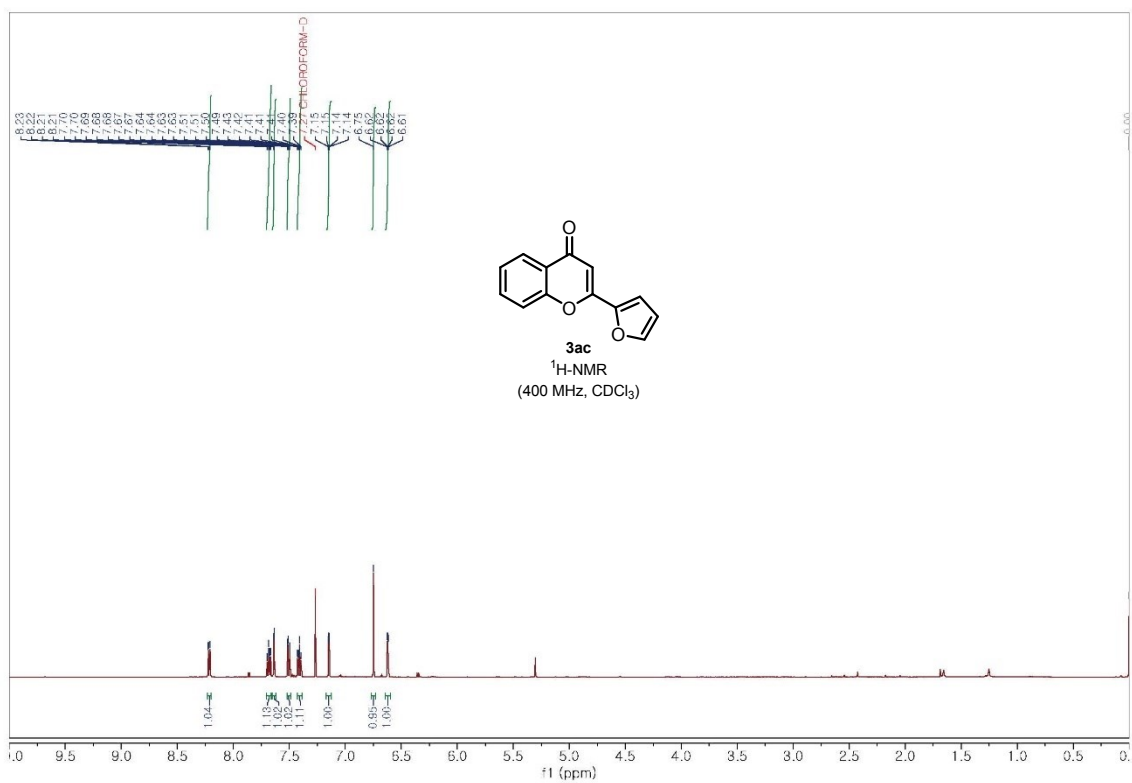
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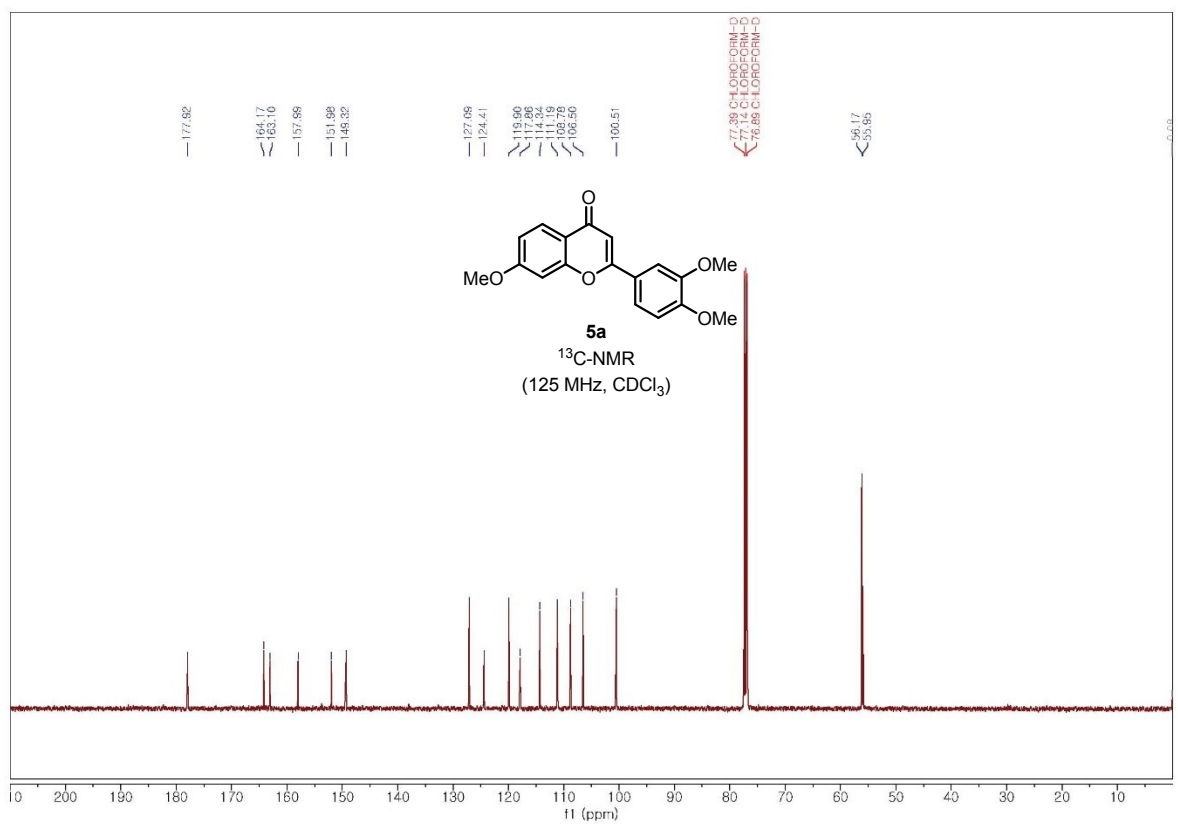
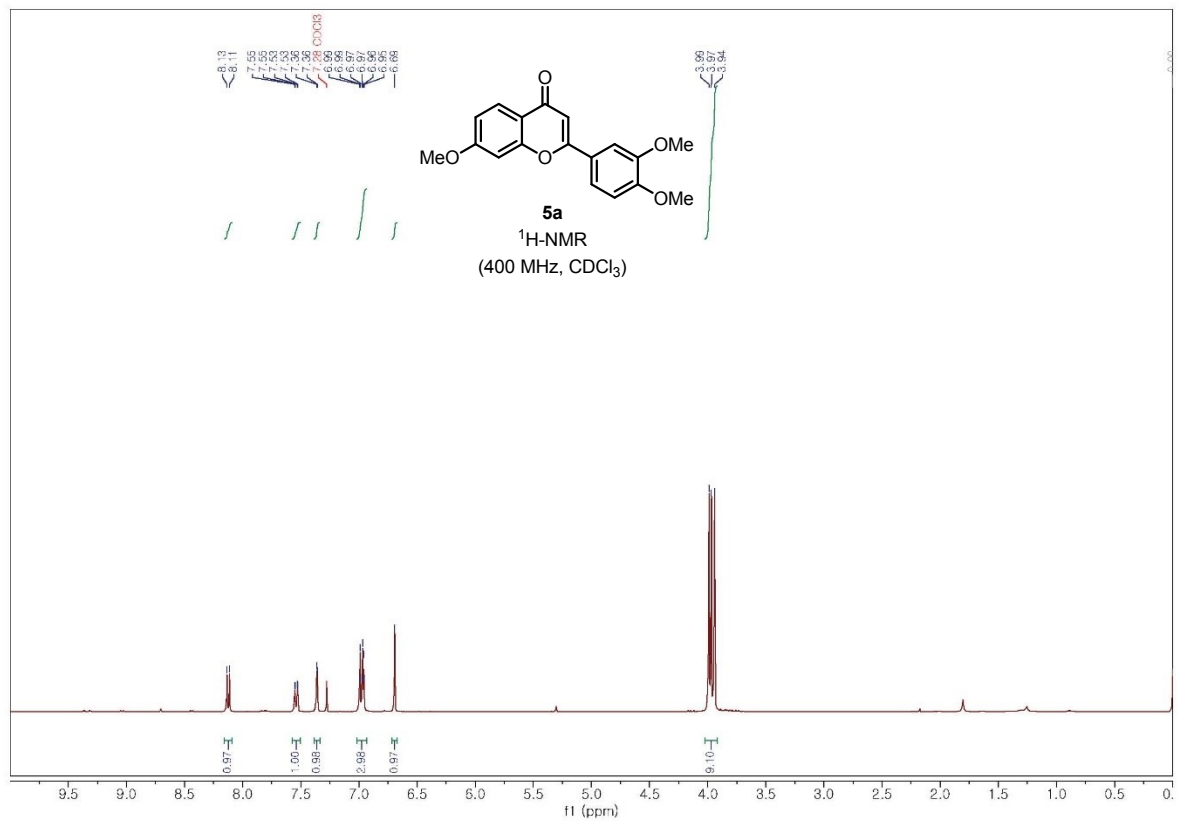
2-(thiophen-2-yl)-4H-chromen-4-one **3ab**



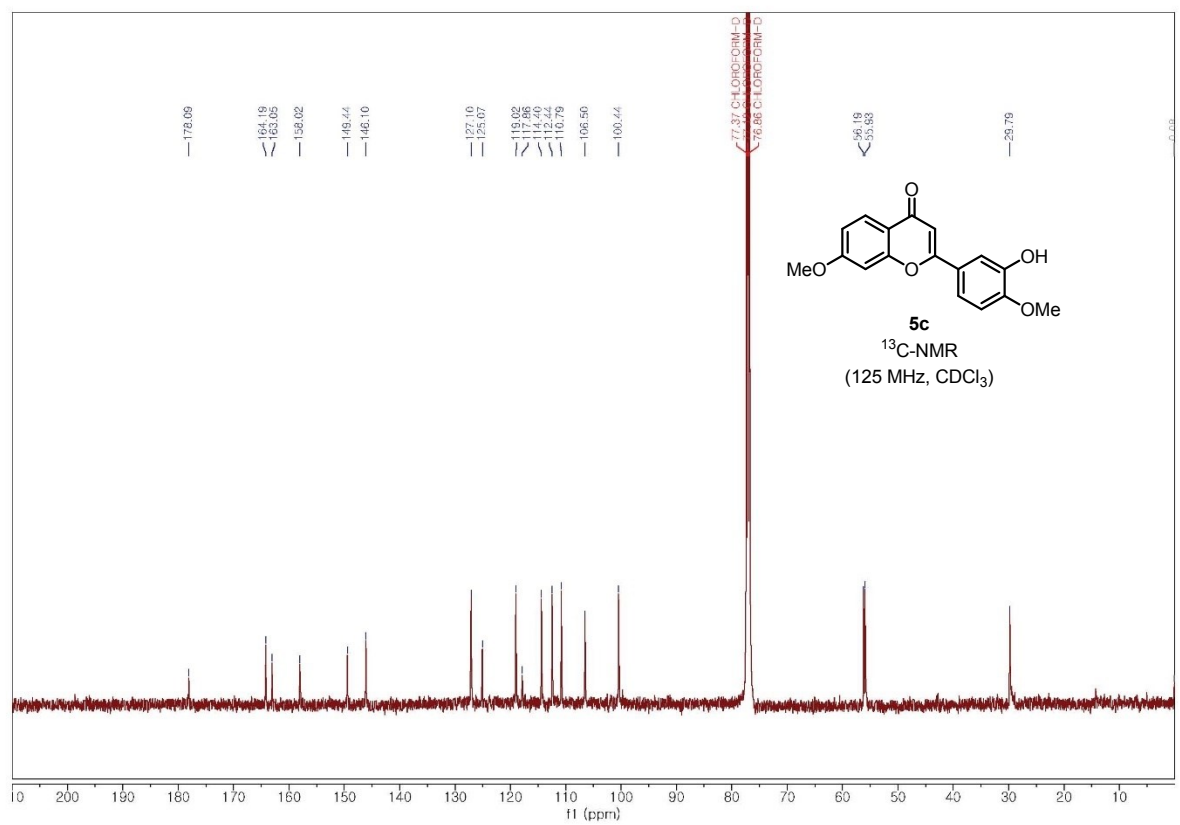
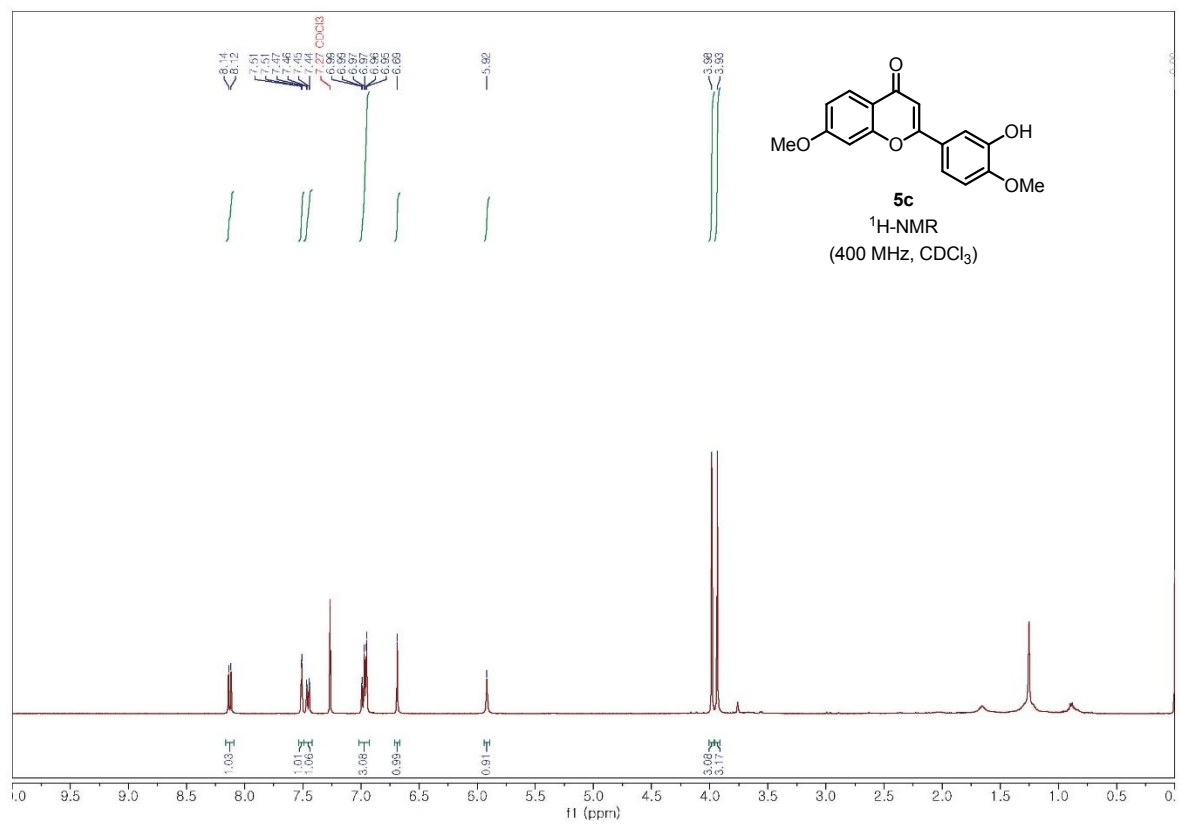
2-(furan-2-yl)-4H-chromen-4-one **3ac**



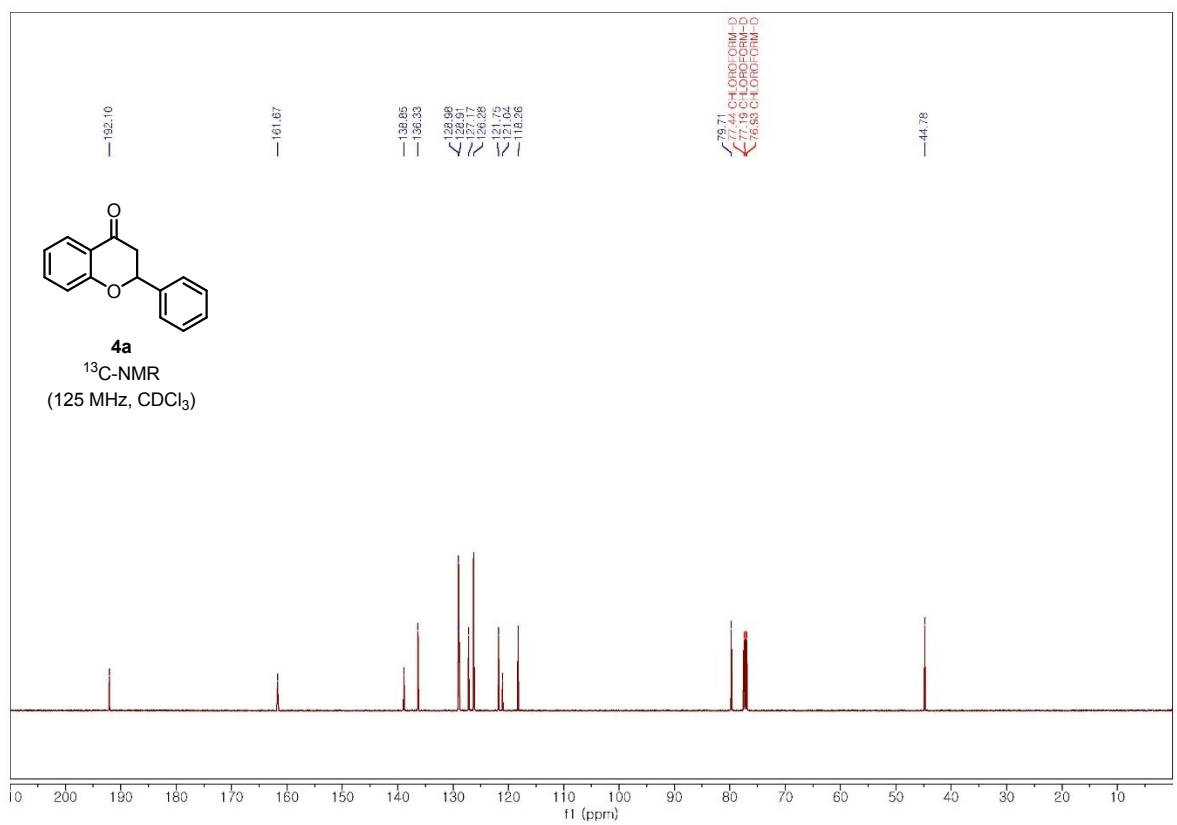
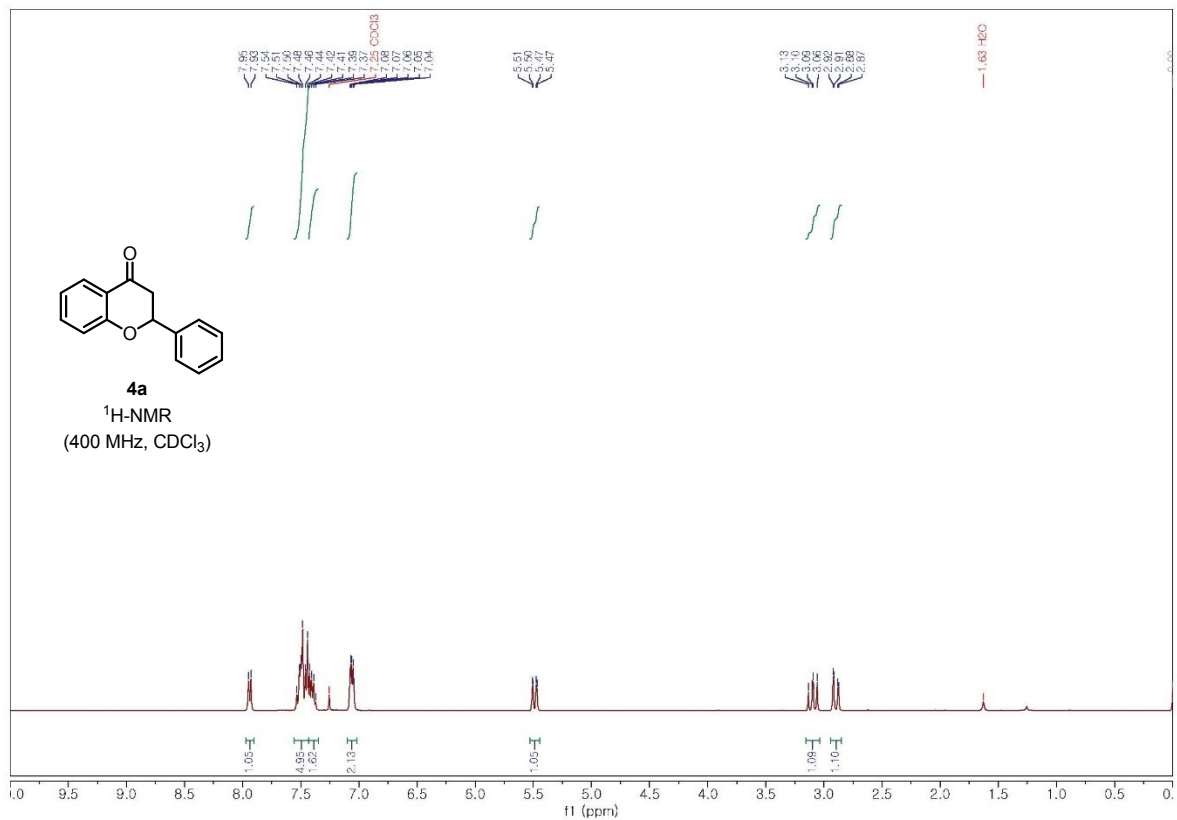
2-(3,4-dimethoxyphenyl)-7-methoxy-4H-chromen-4-one **5a**



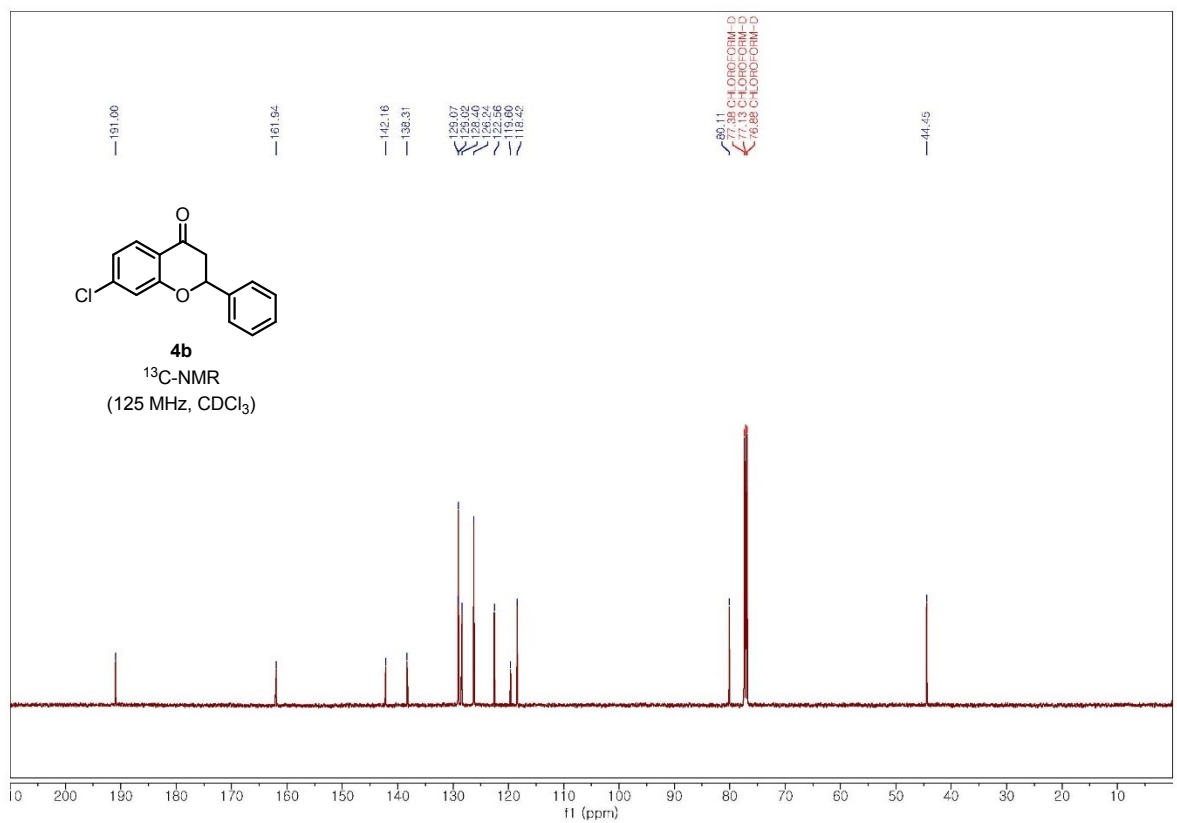
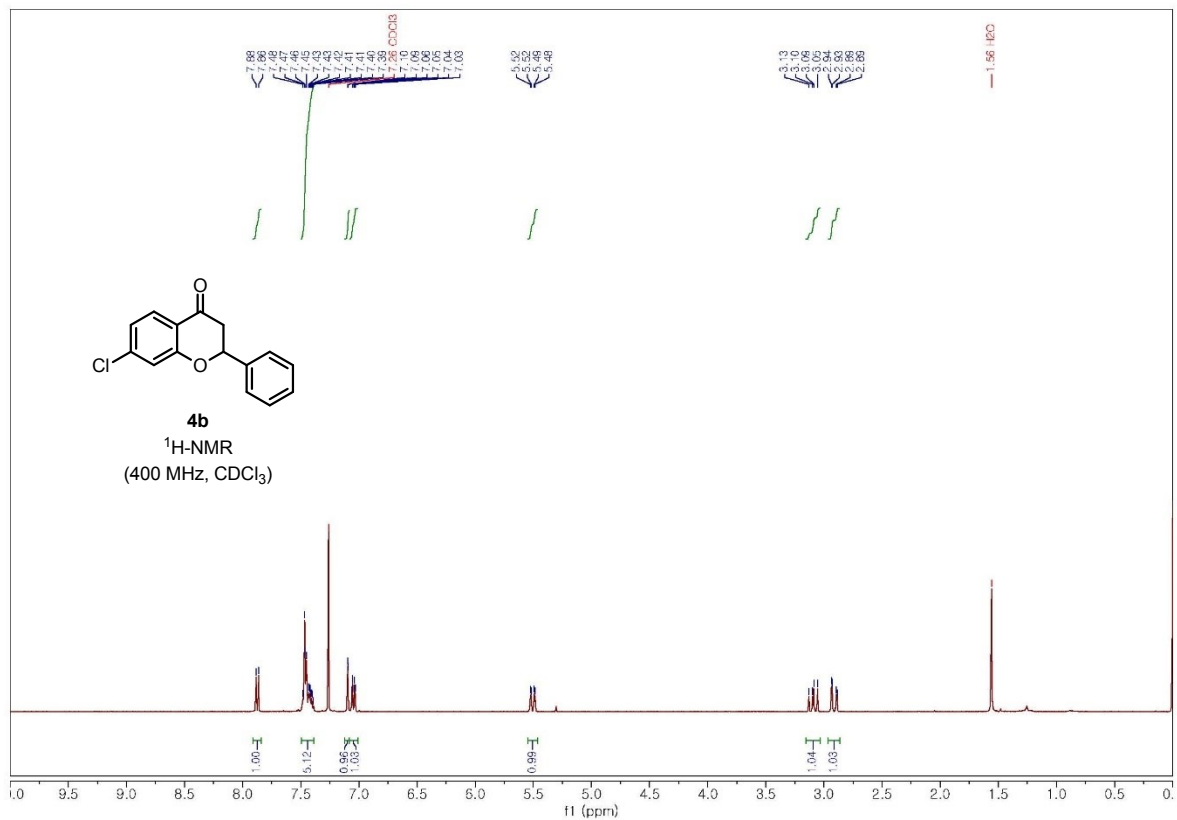
2-(3-hydroxy-4-methoxyphenyl)-7-methoxy-4*H*-chromen-4-one **5c**



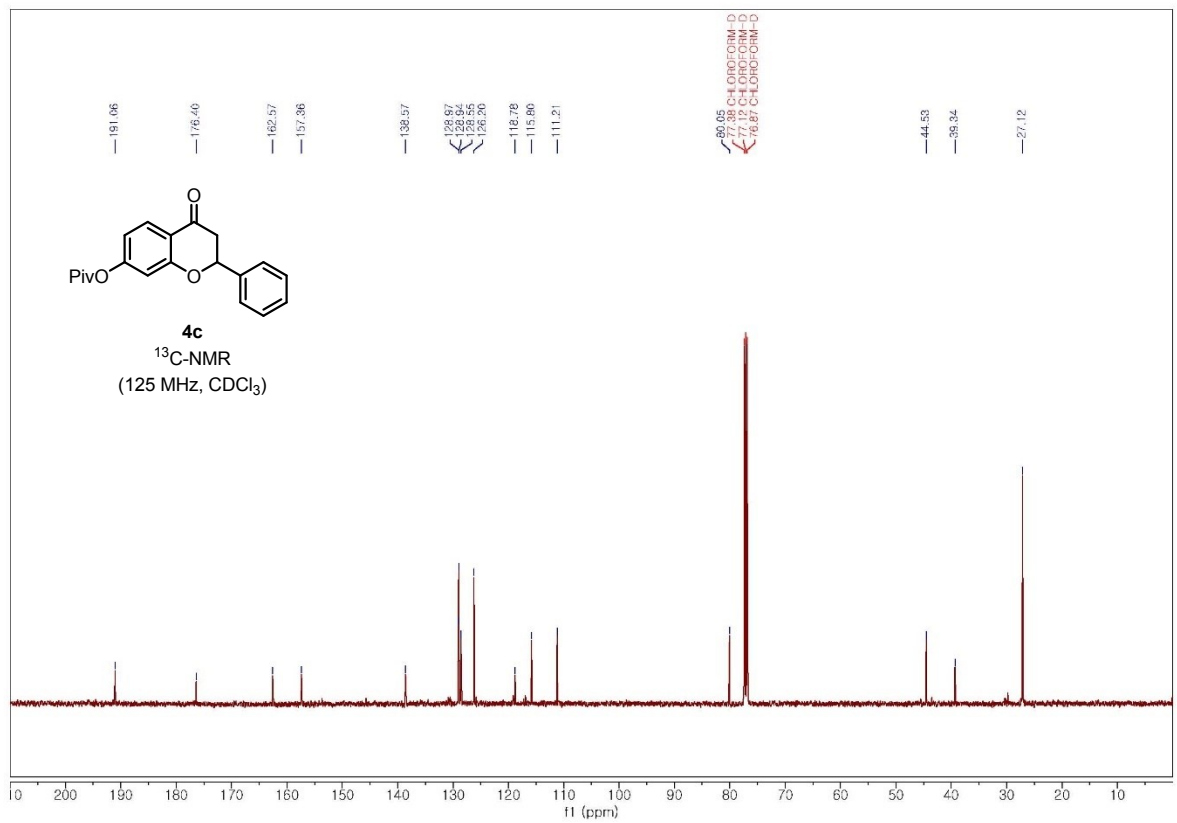
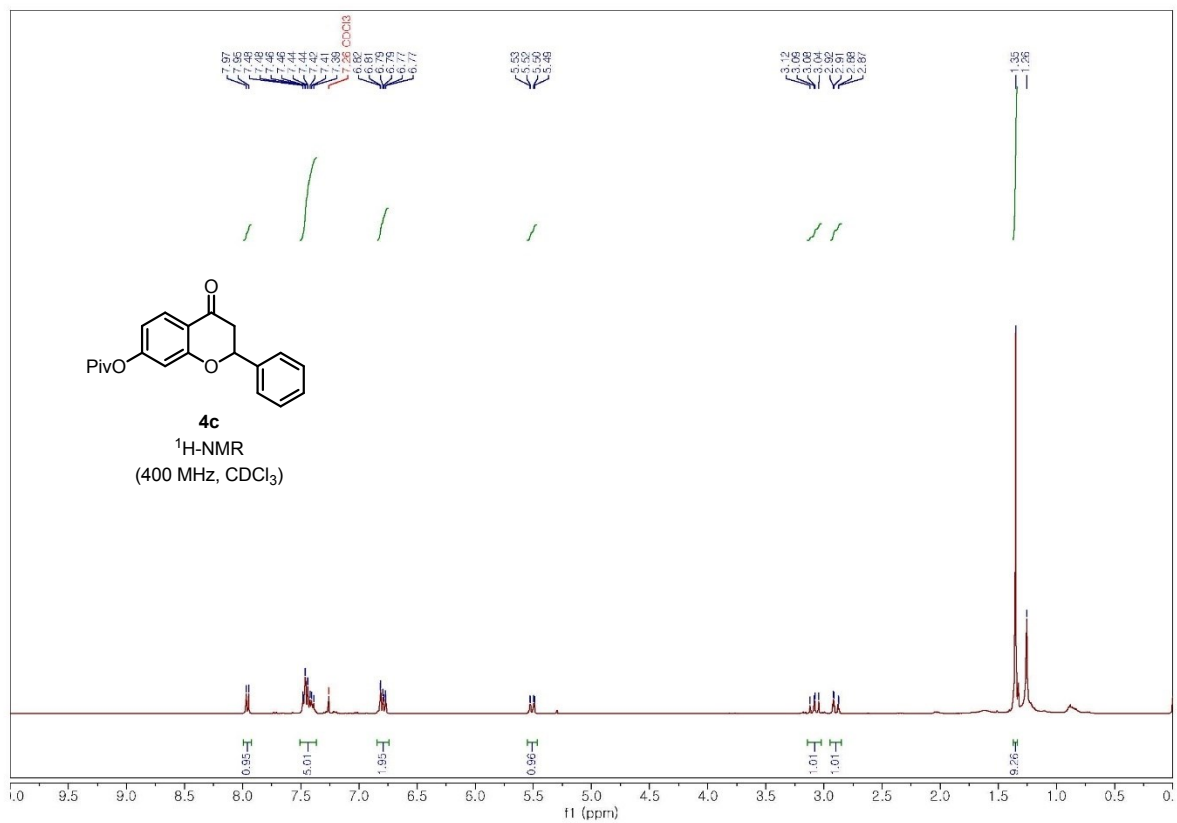
2-phenylchroman-4-one **4a**



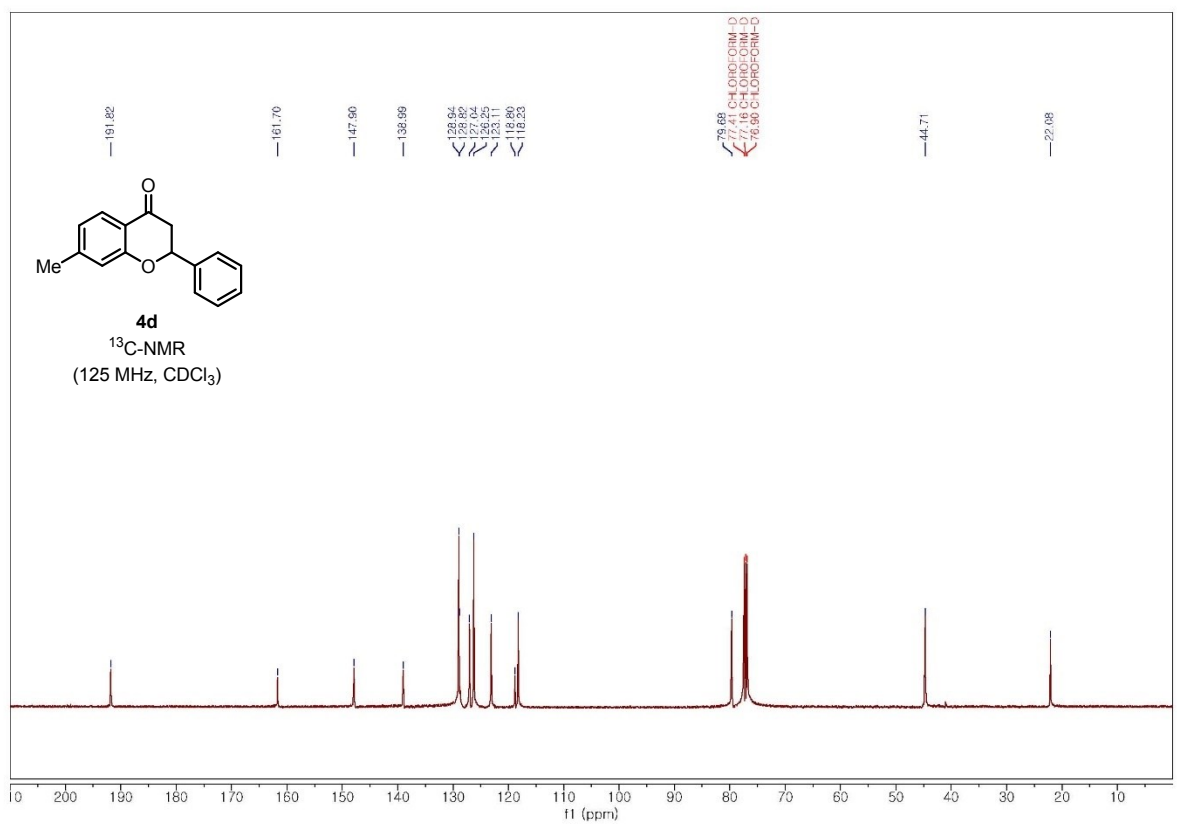
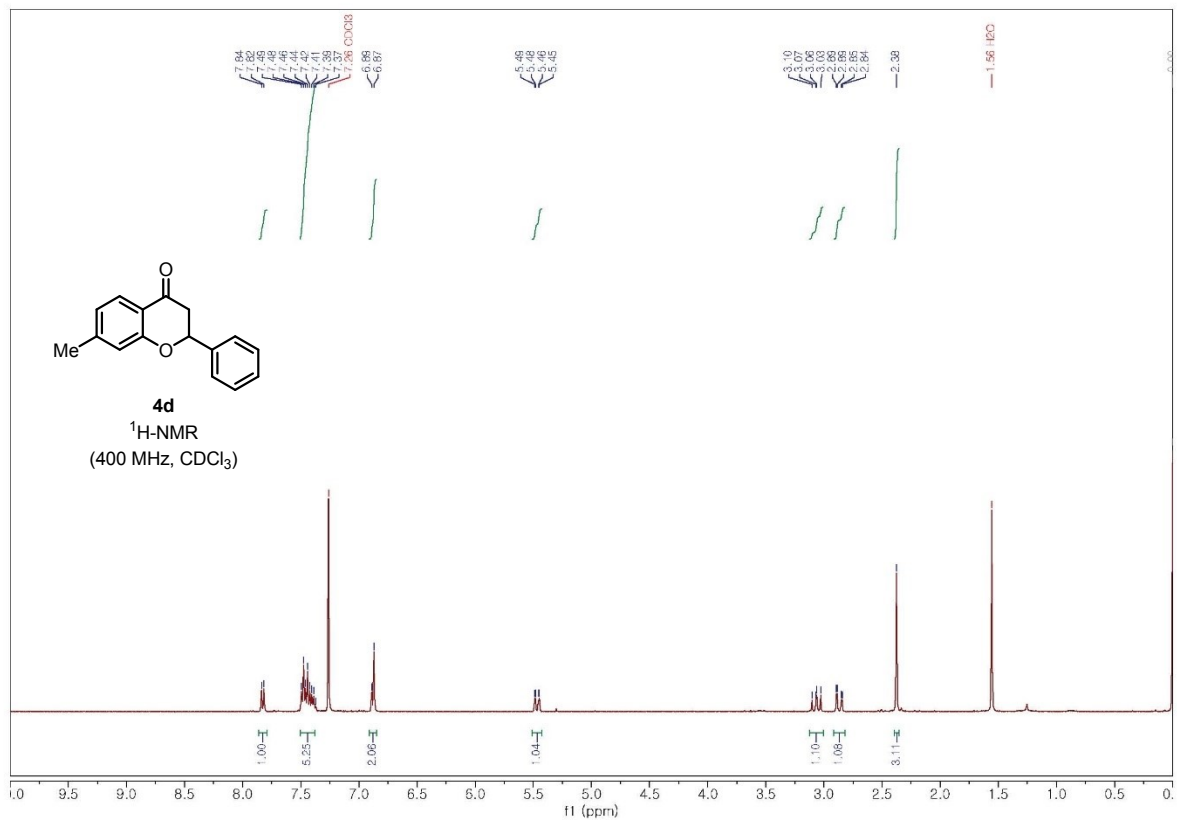
7-chloro-2-phenylchroman-4-one **4b**



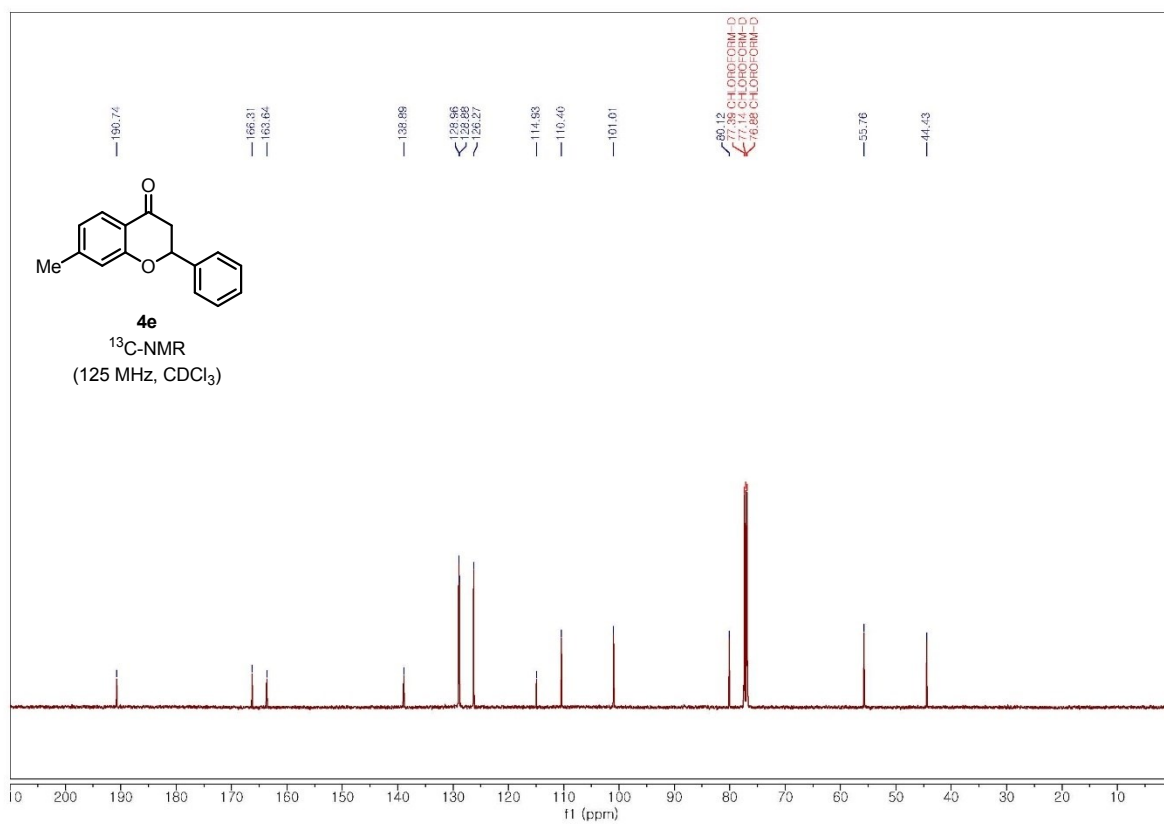
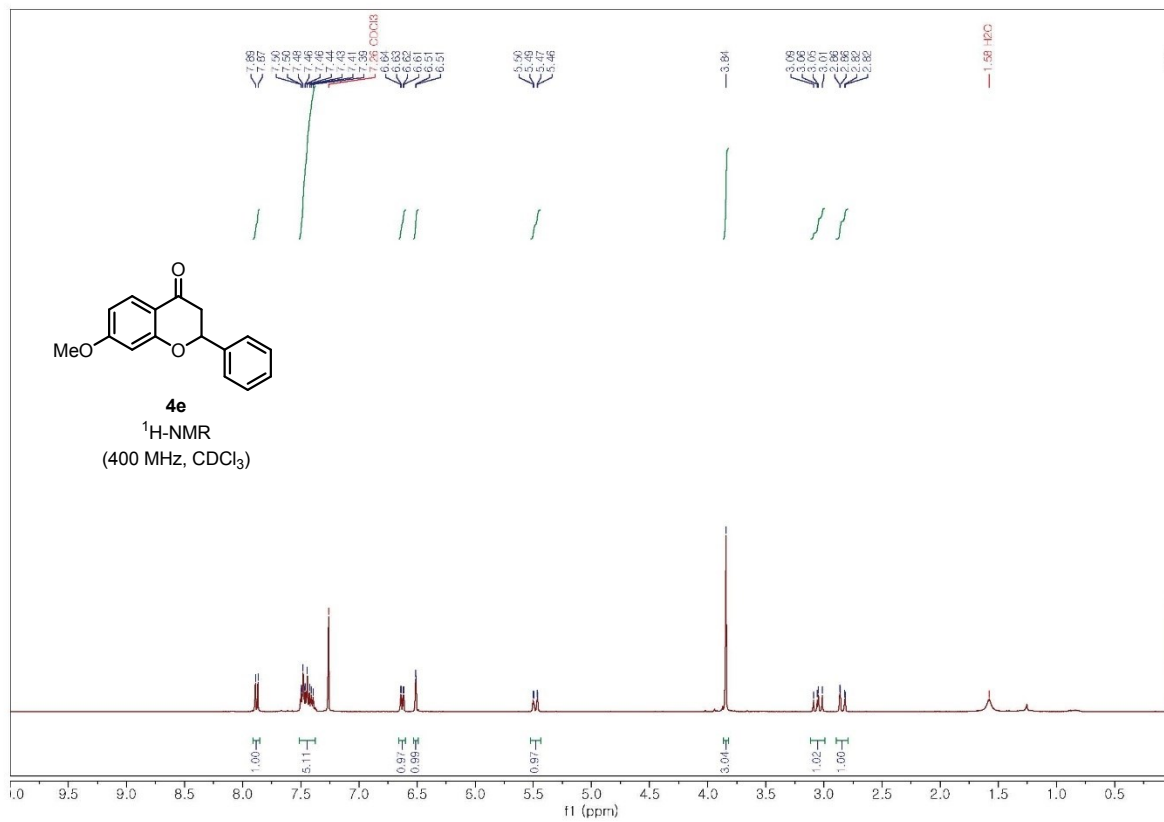
4-oxo-2-phenylchroman-7-yl pivalate **4c**



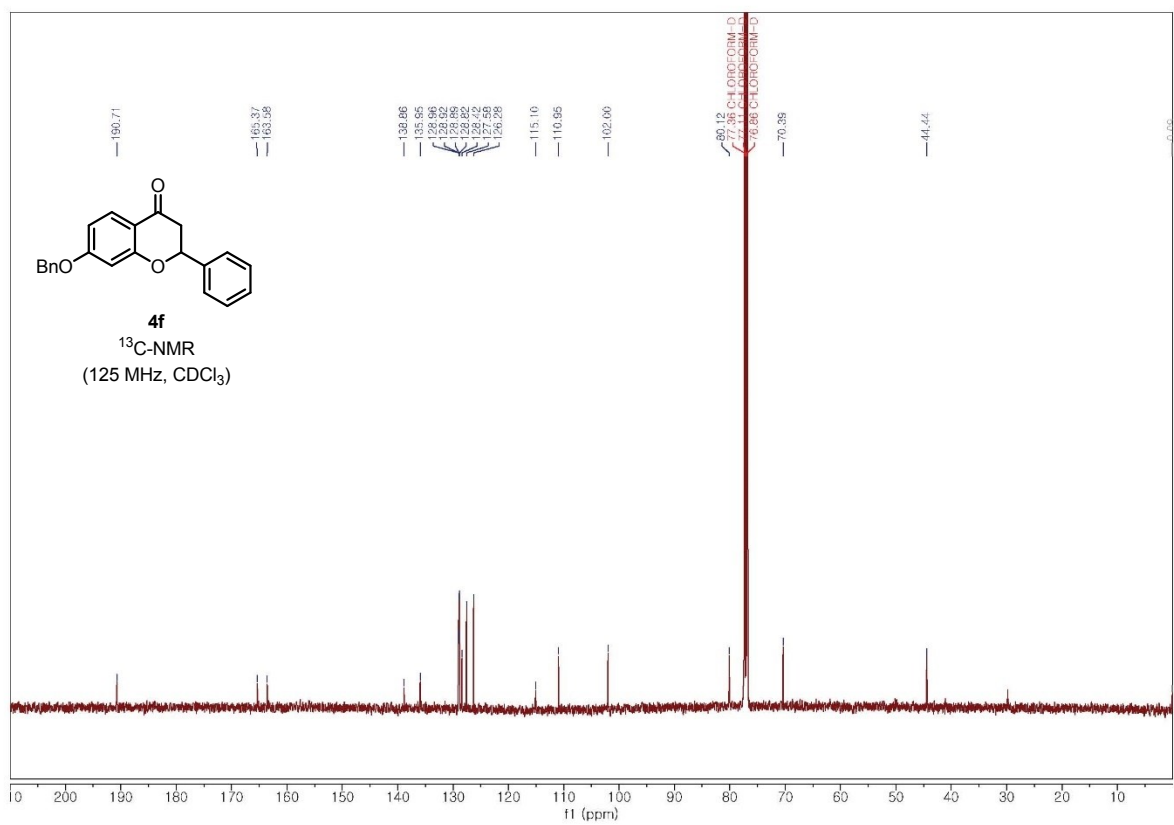
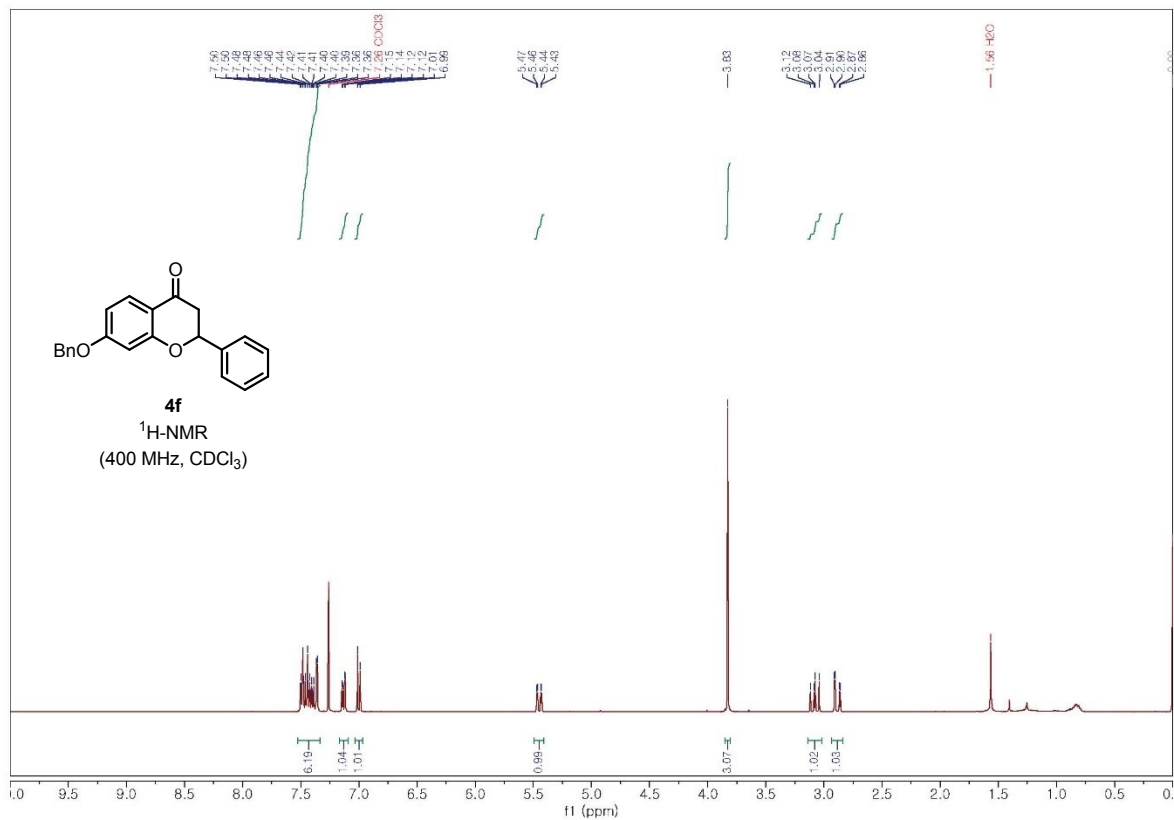
7-methyl-2-phenylchroman-4-one **4d**



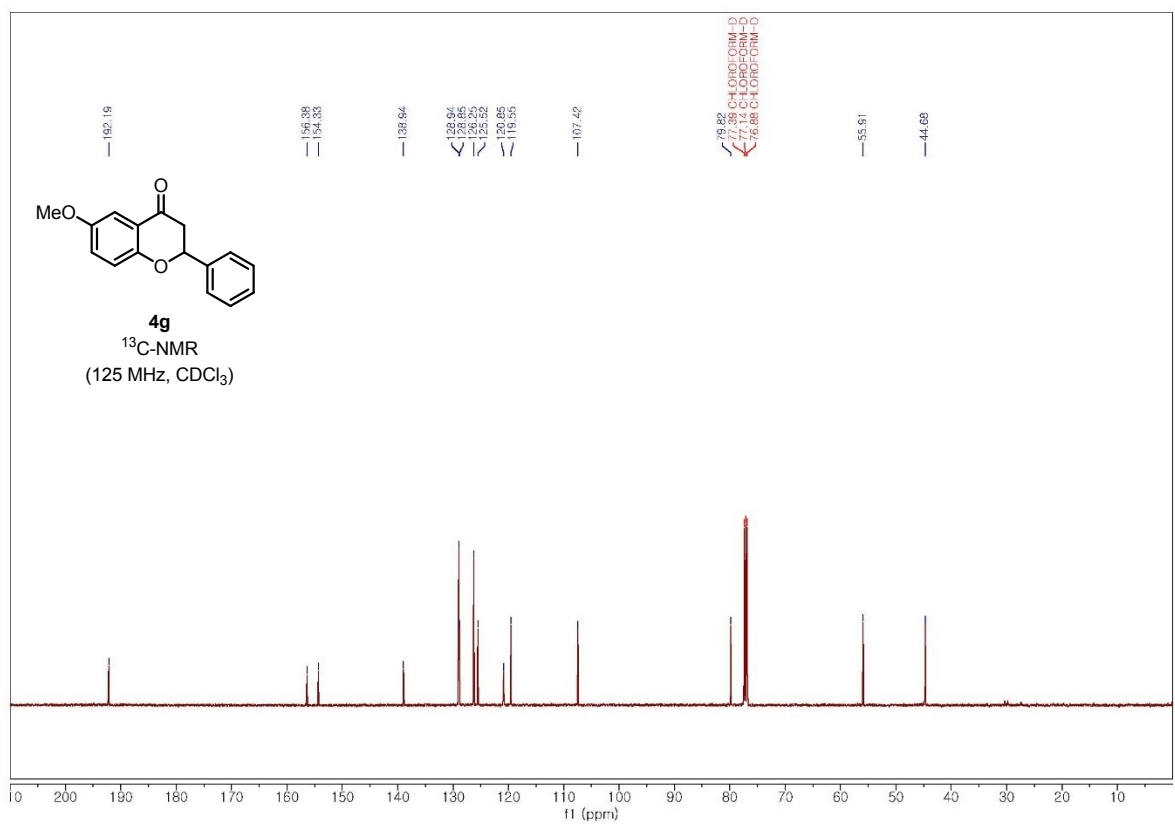
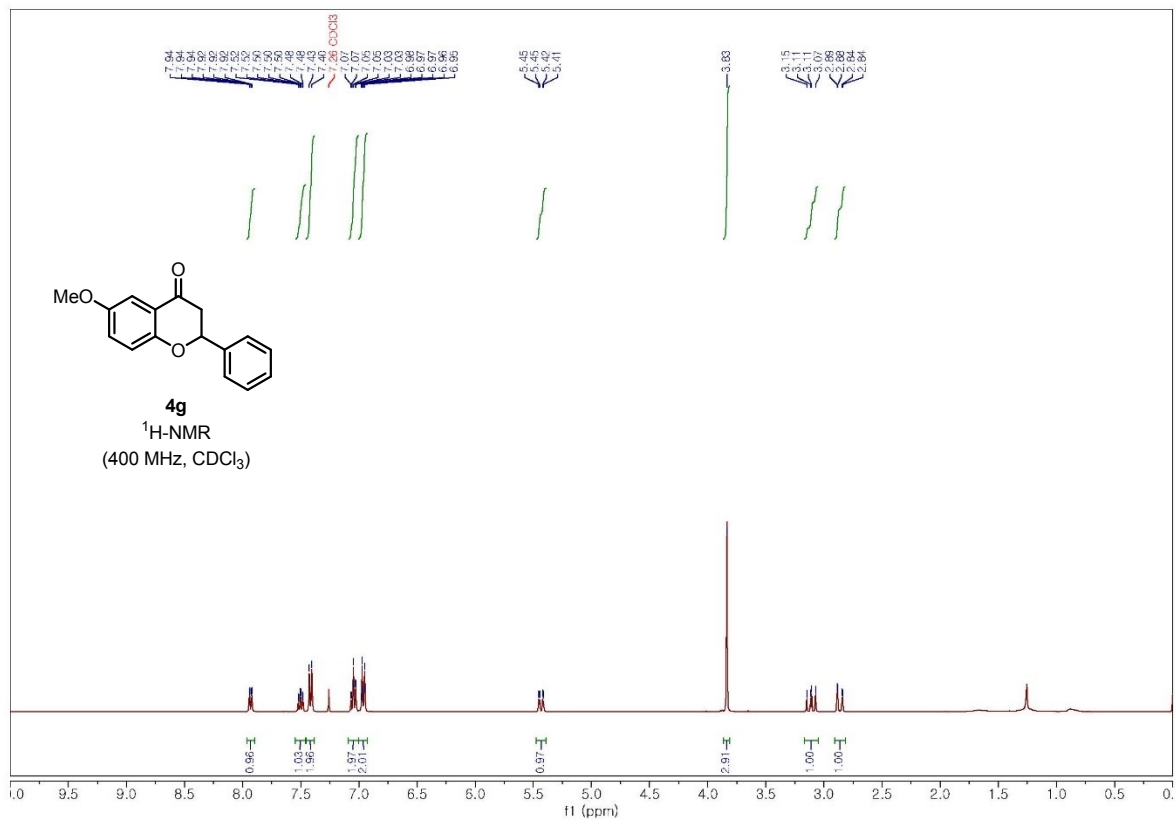
7-methoxy-2-phenylchroman-4-one **4e**



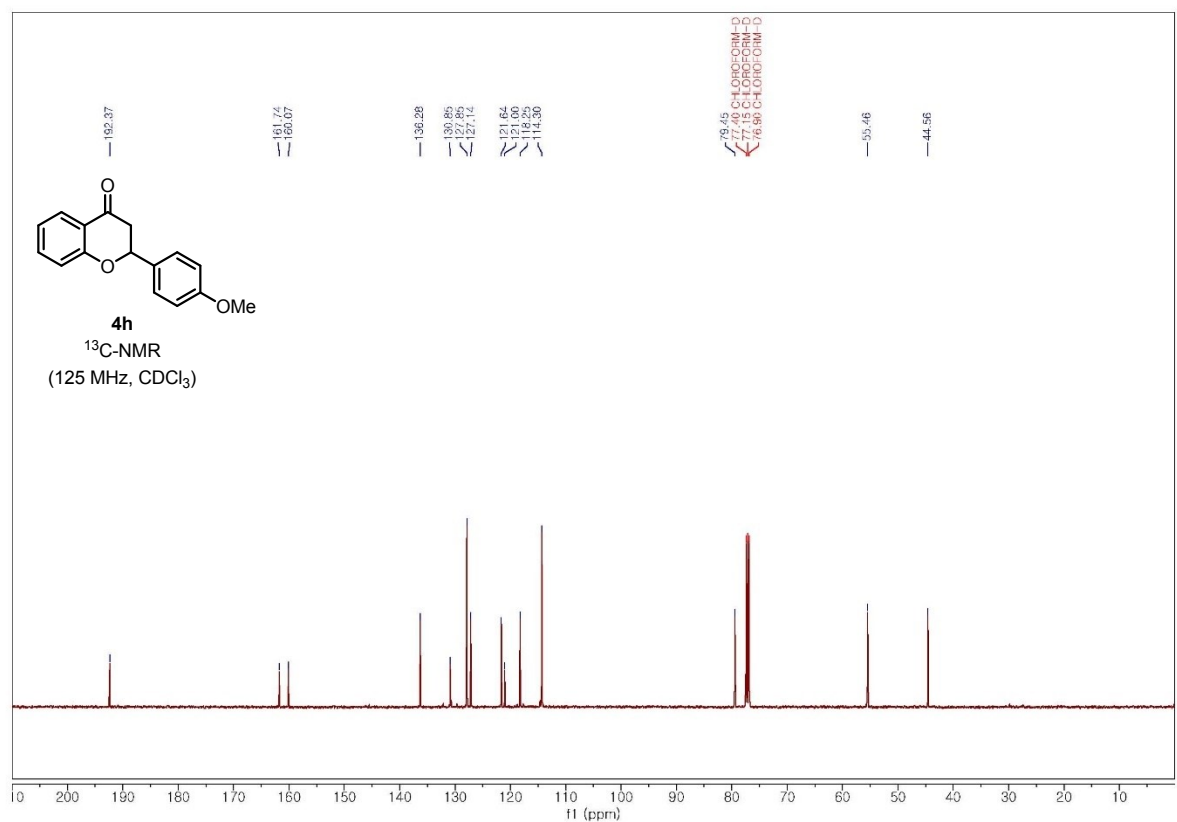
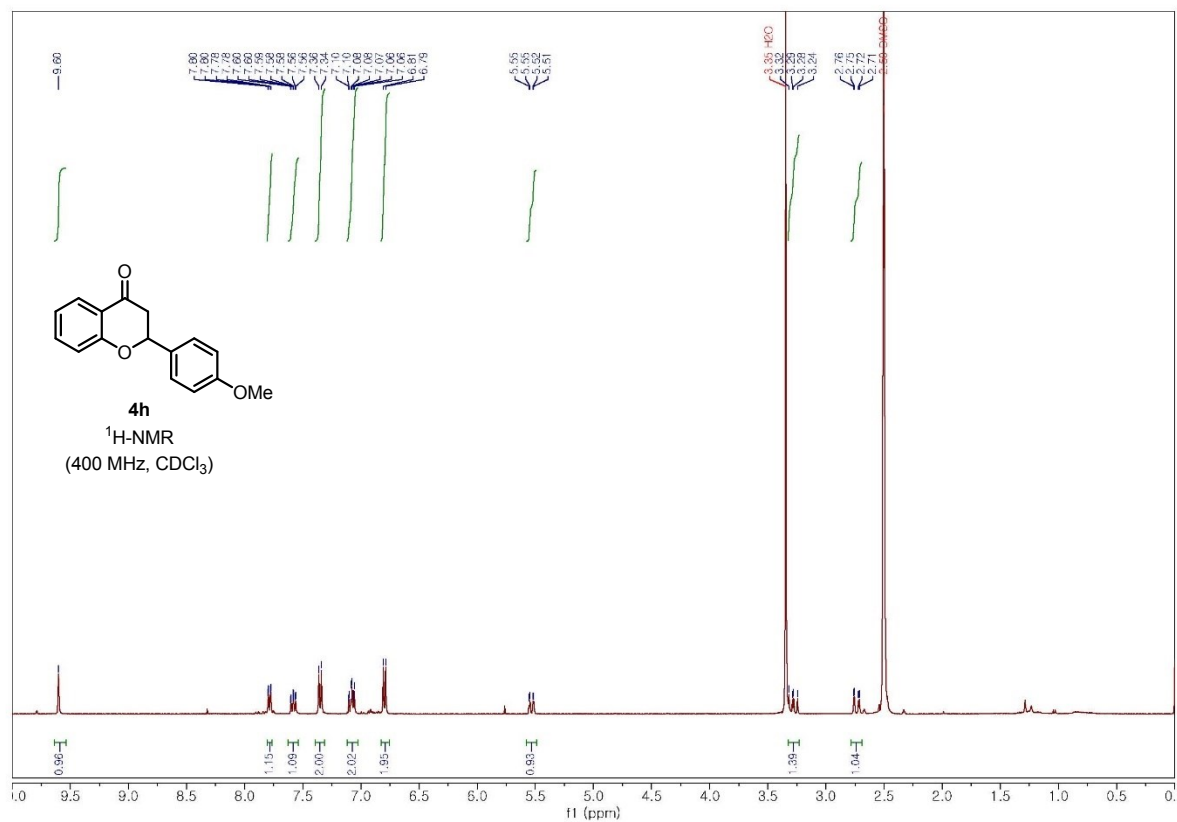
7-(benzyloxy)-2-phenylchroman-4-one **4f**



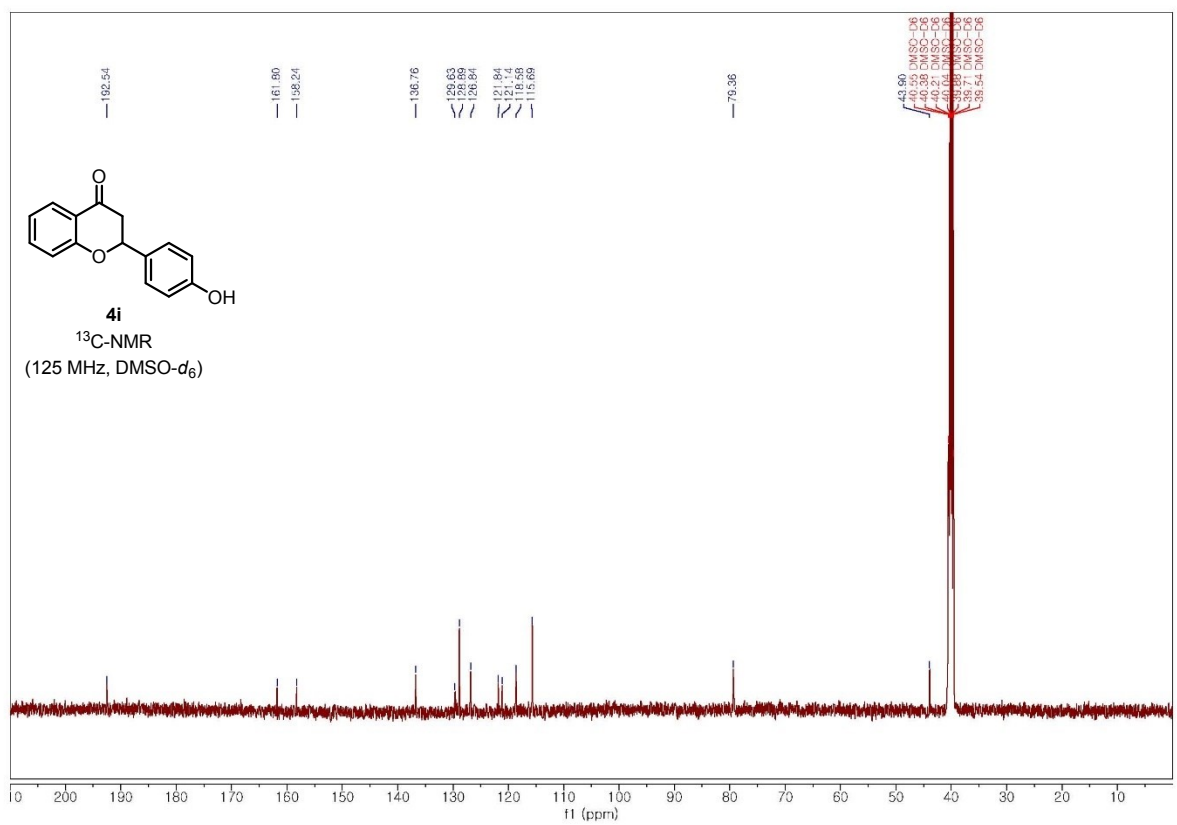
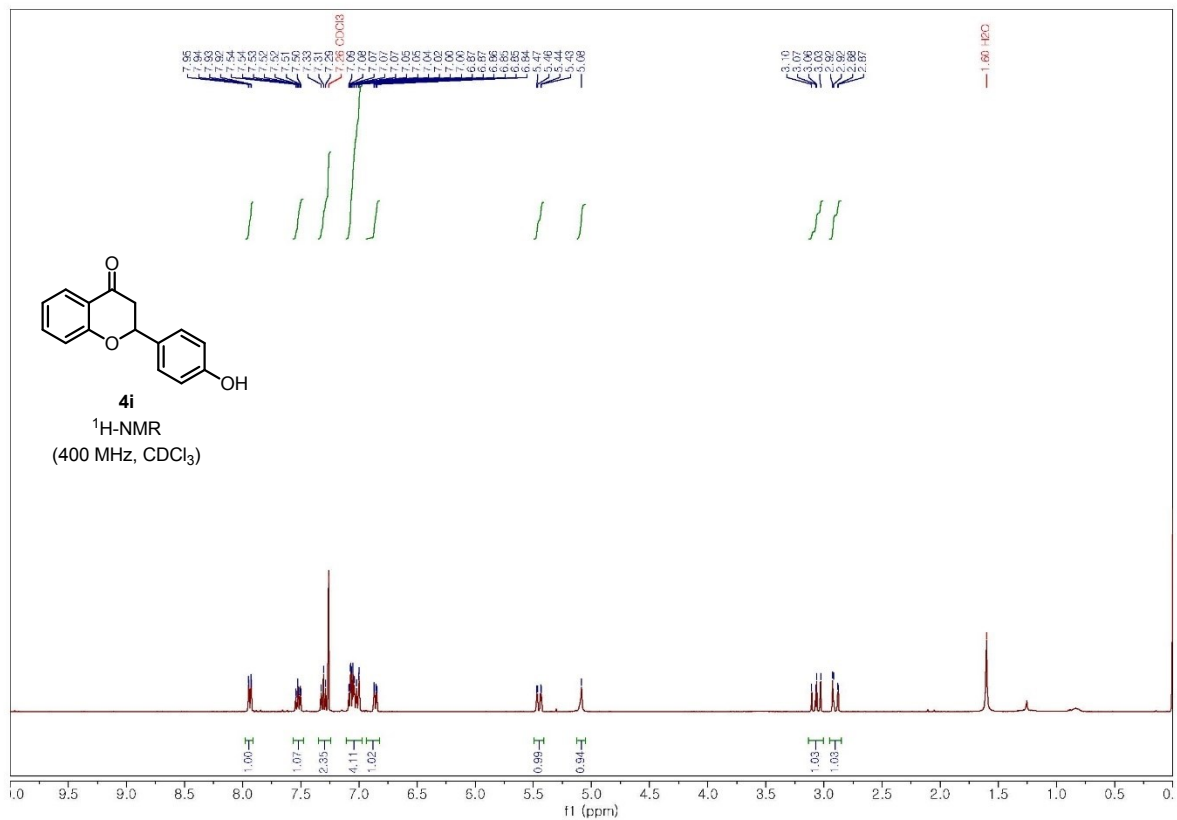
6-methoxy-2-phenylchroman-4-one **4g**



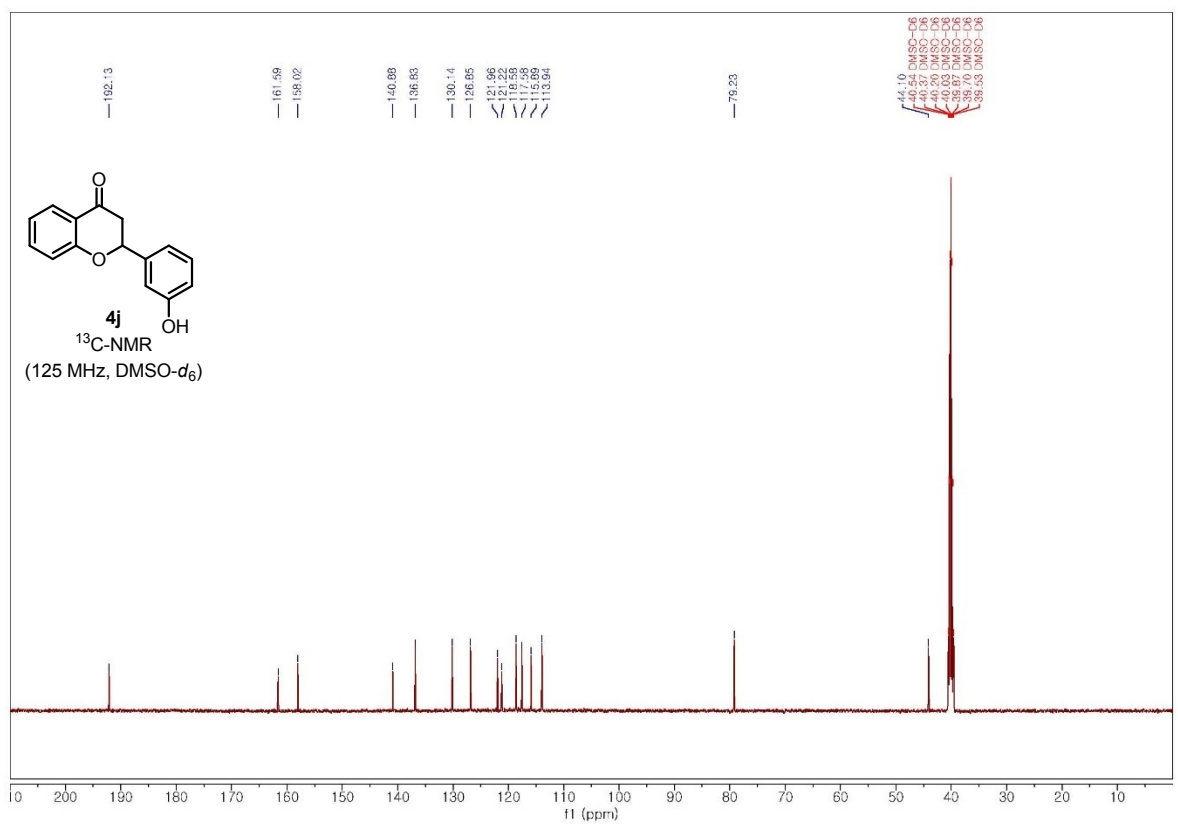
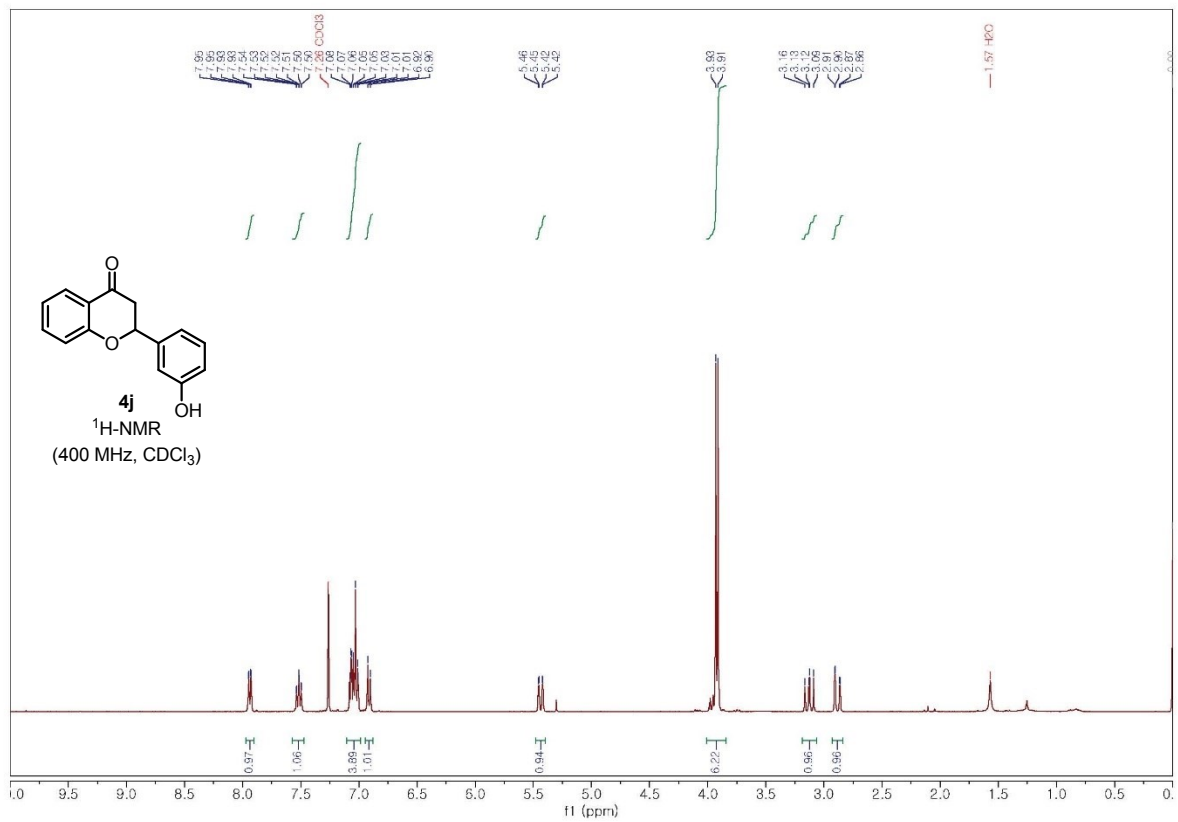
2-(4-methoxyphenyl)chroman-4-one **4h**



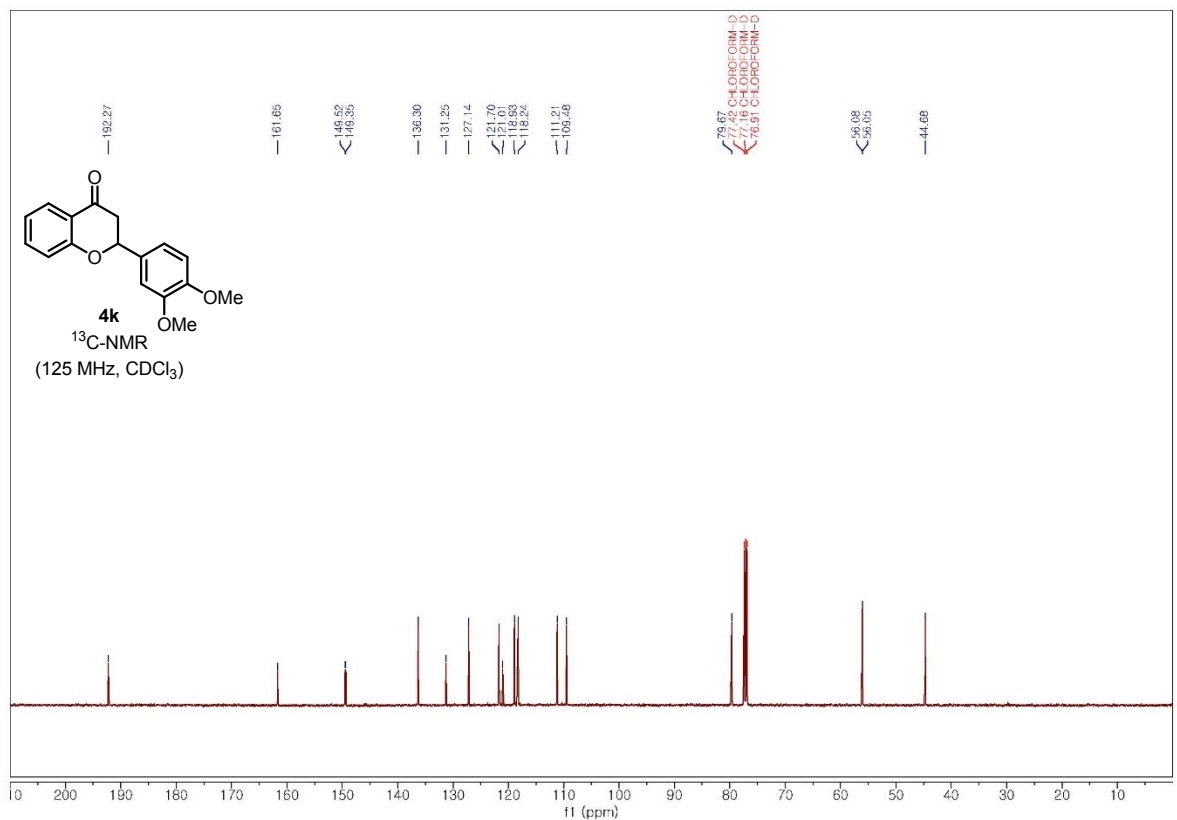
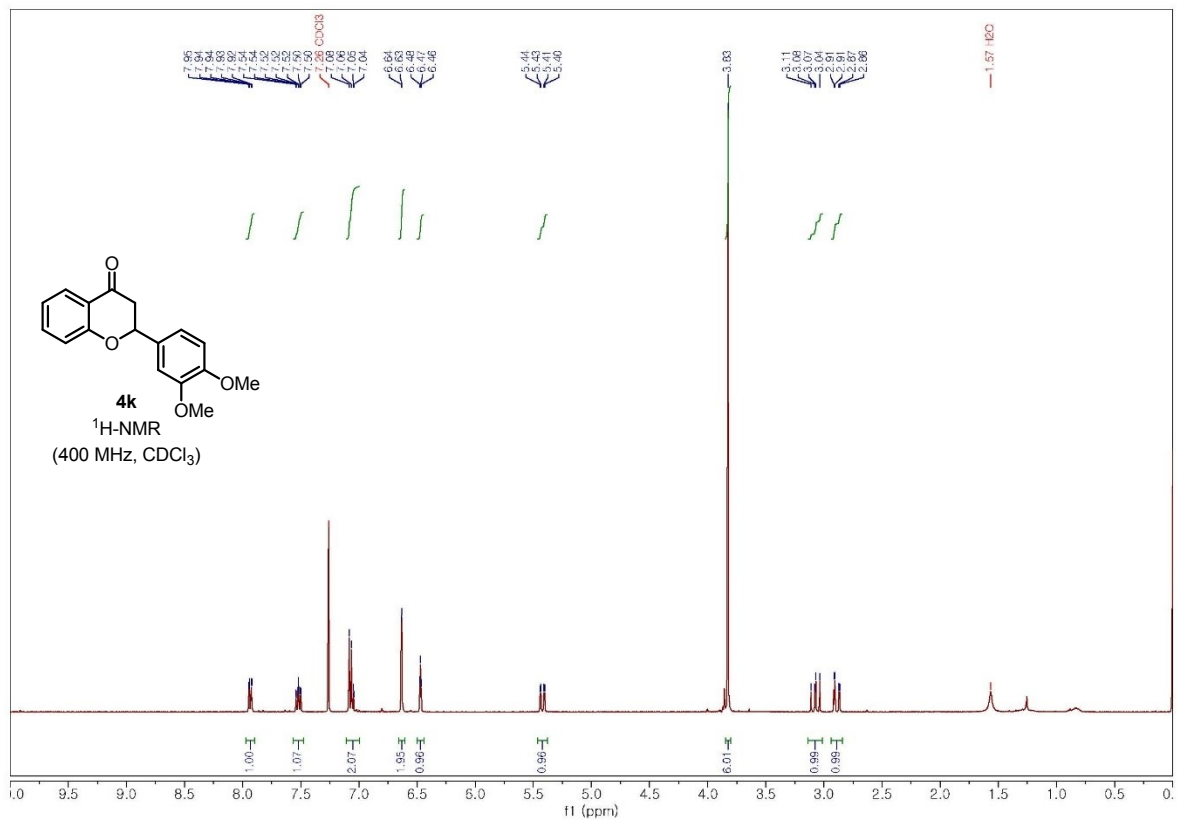
2-(4-hydroxyphenyl)chroman-4-one **4i**



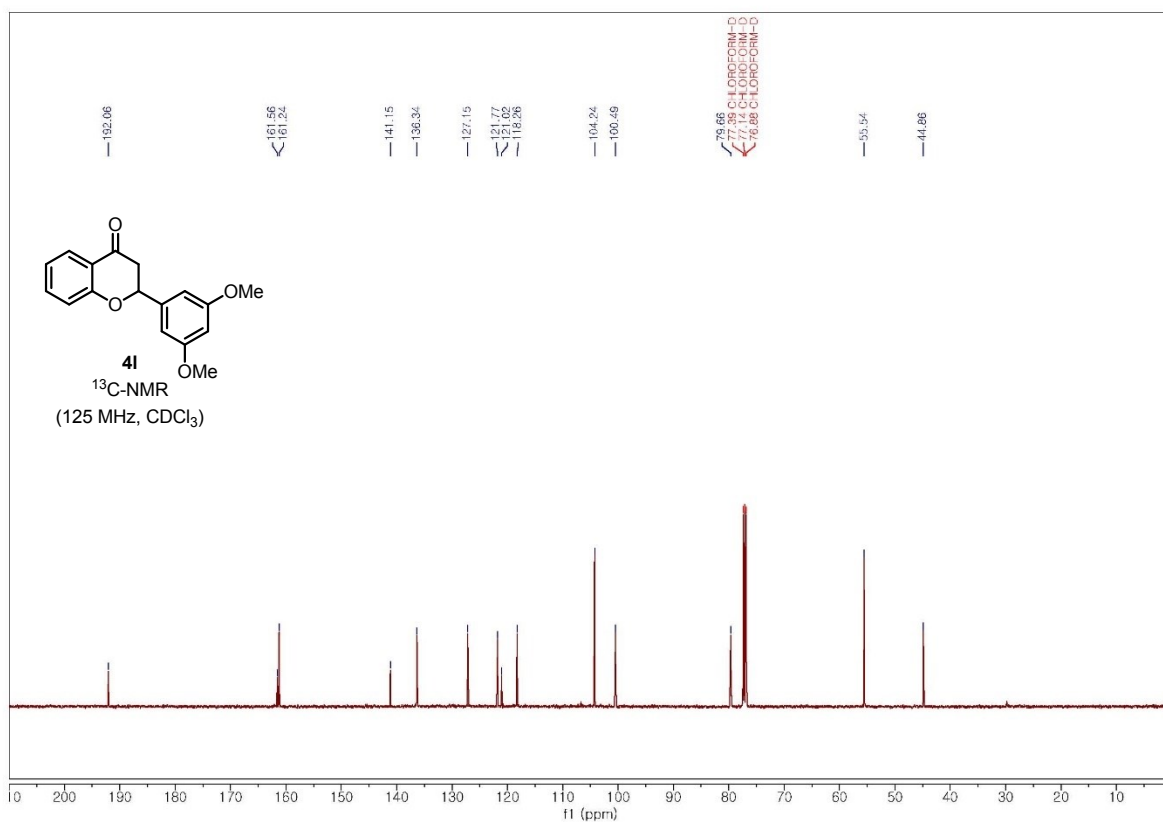
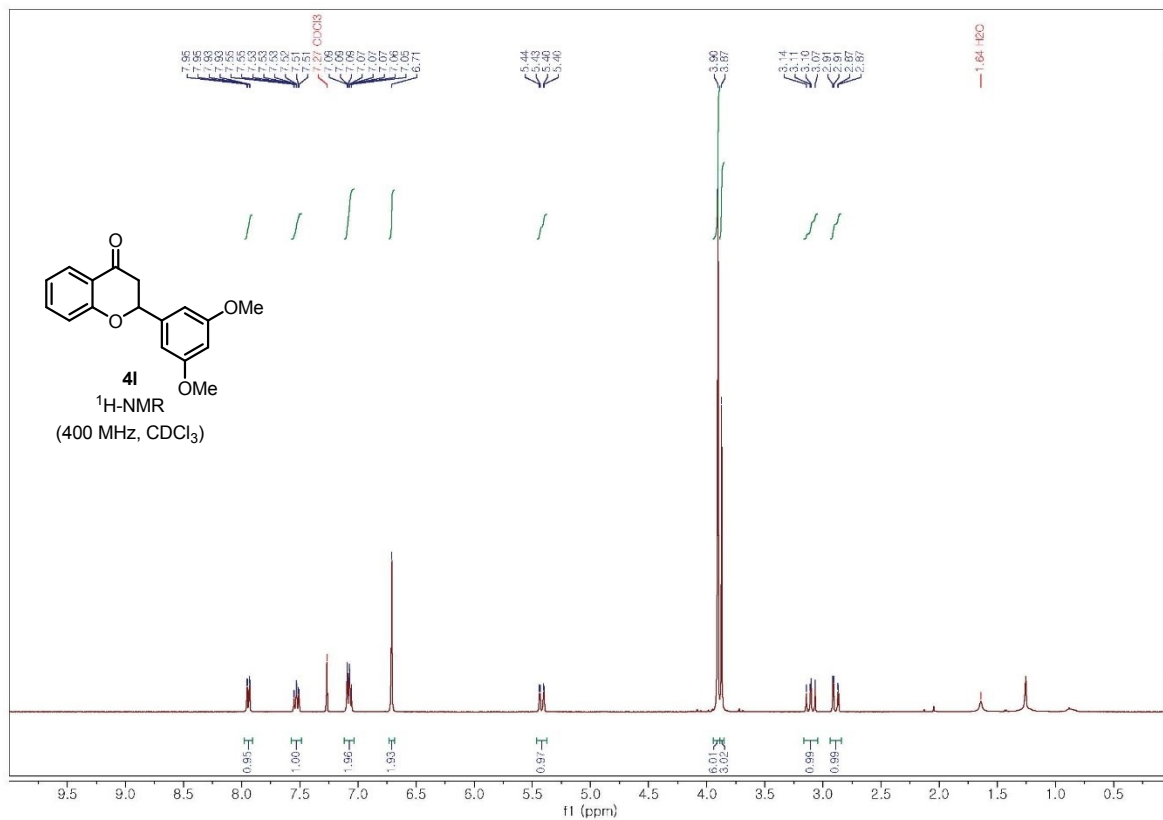
2-(3-hydroxyphenyl)chroman-4-one **4j**



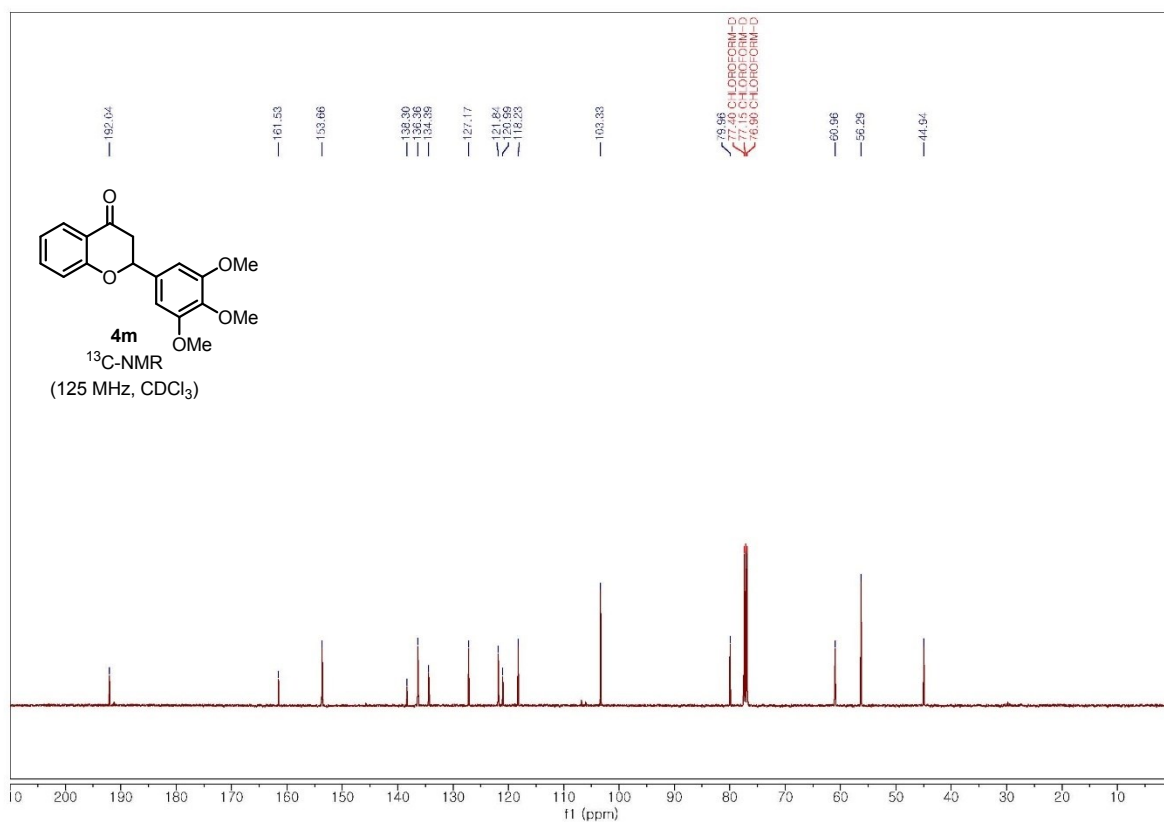
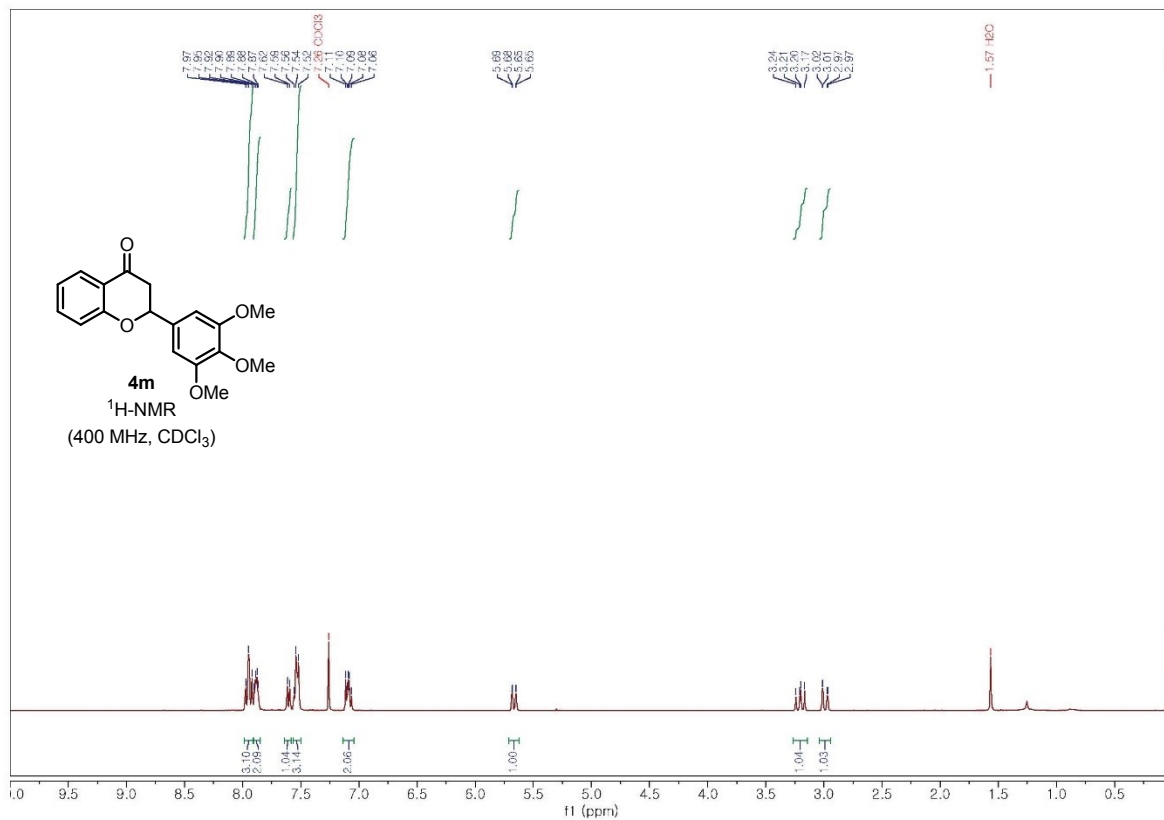
2-(3,4-dimethoxyphenyl)chroman-4-one **4k**



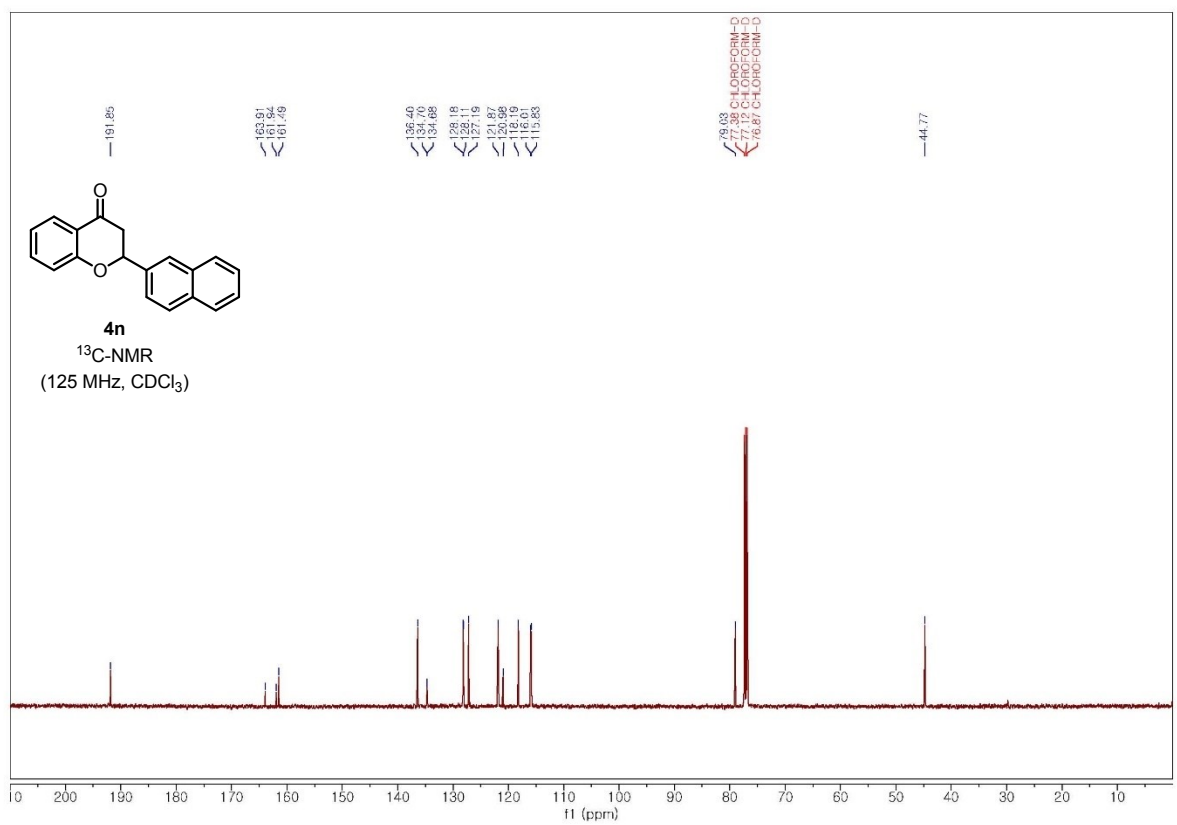
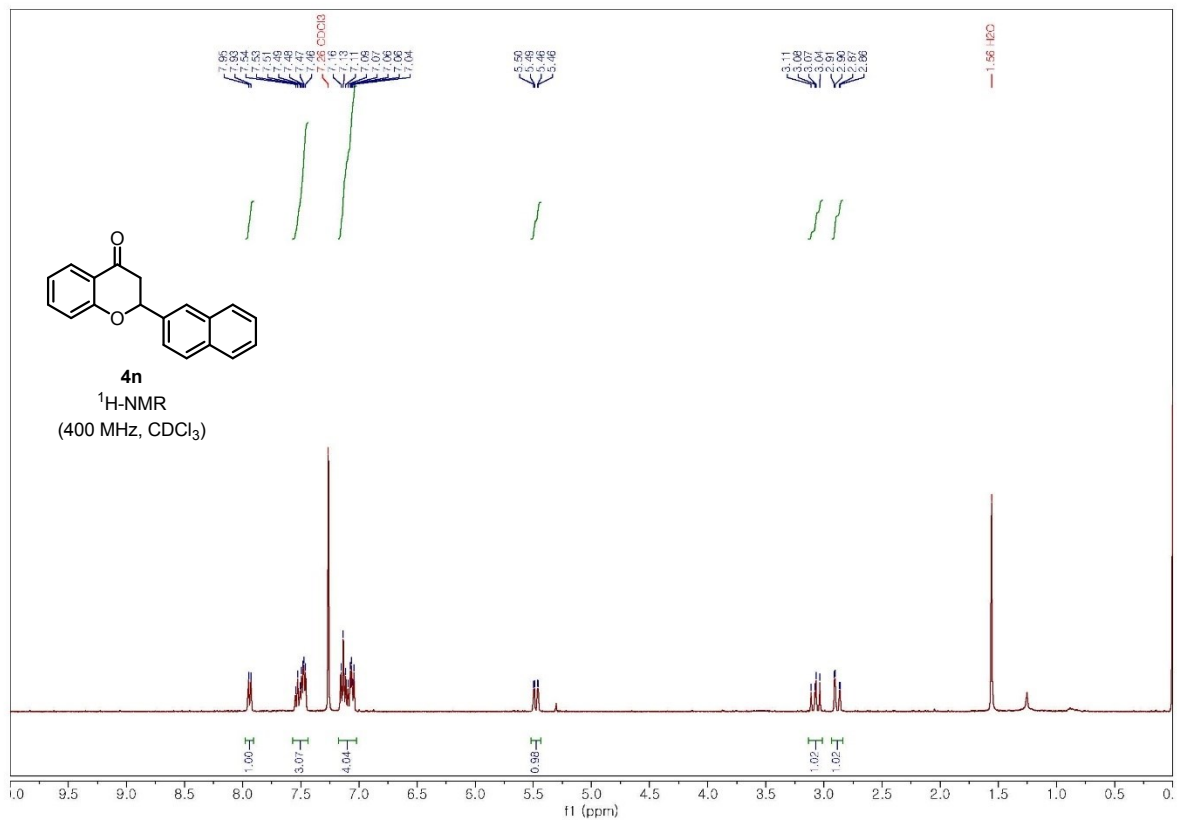
2-(3,5-dimethoxyphenyl)chroman-4-one **4I**



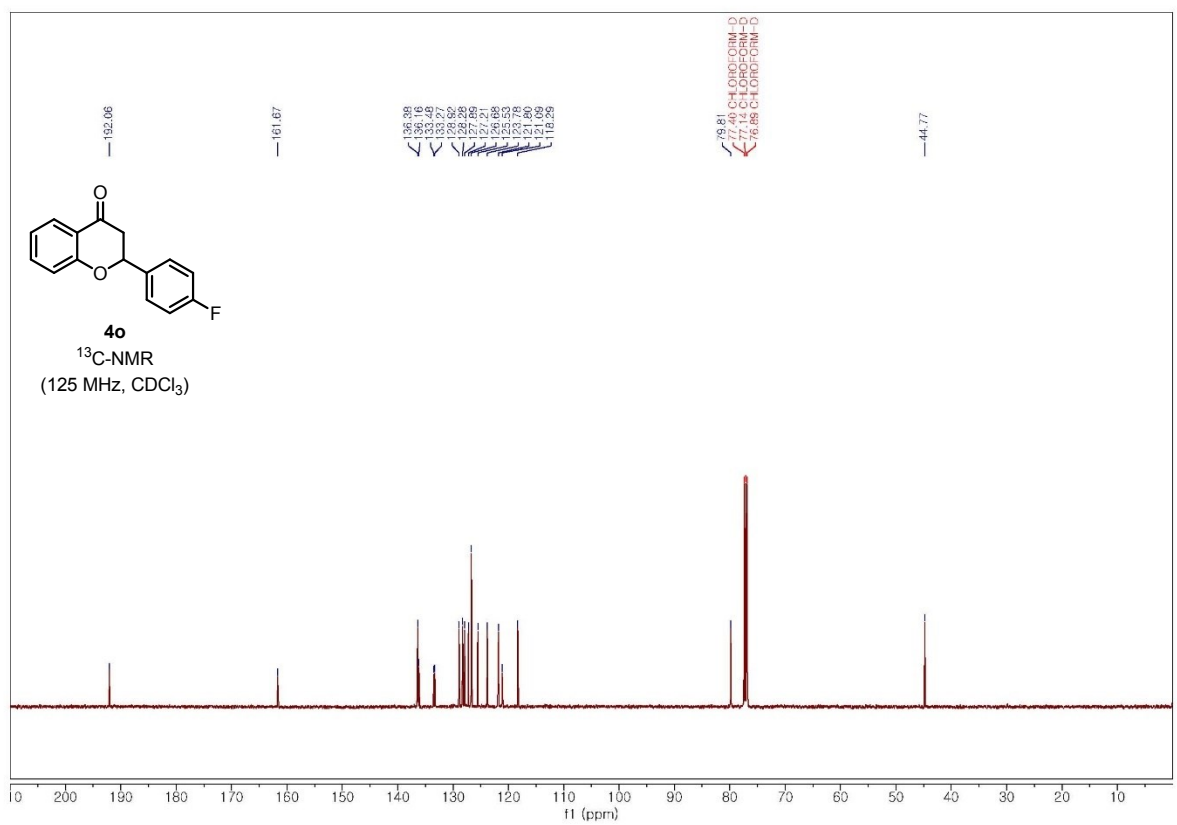
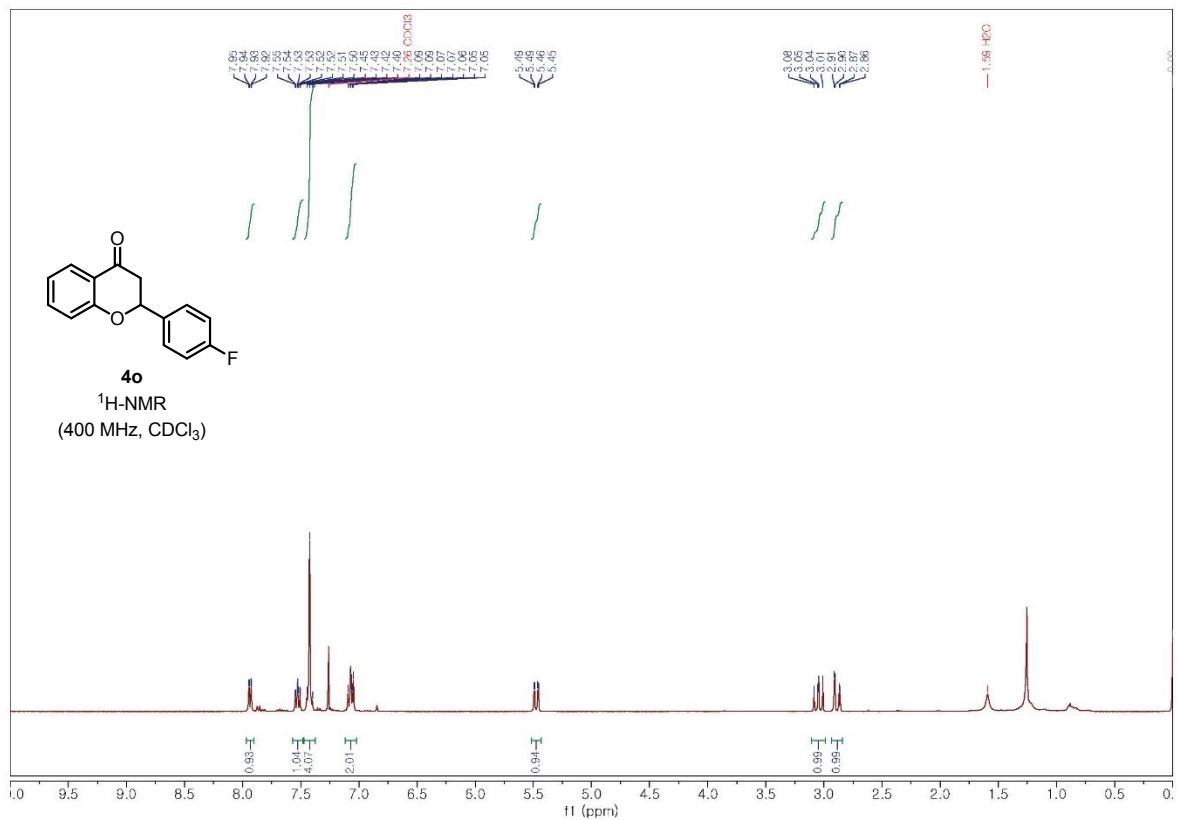
2-(3,4,5-trimethoxyphenyl)chroman-4-one **4m**

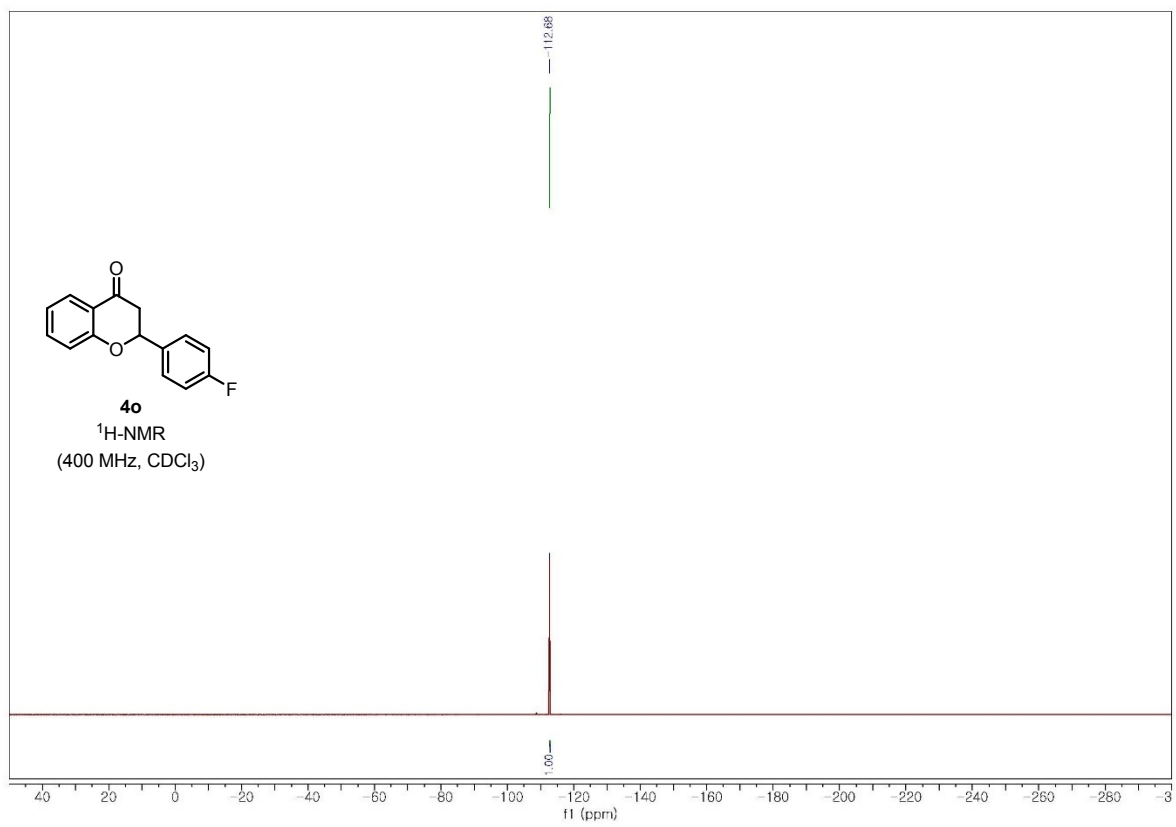


2-(naphthalen-2-yl)chroman-4-one **4n**

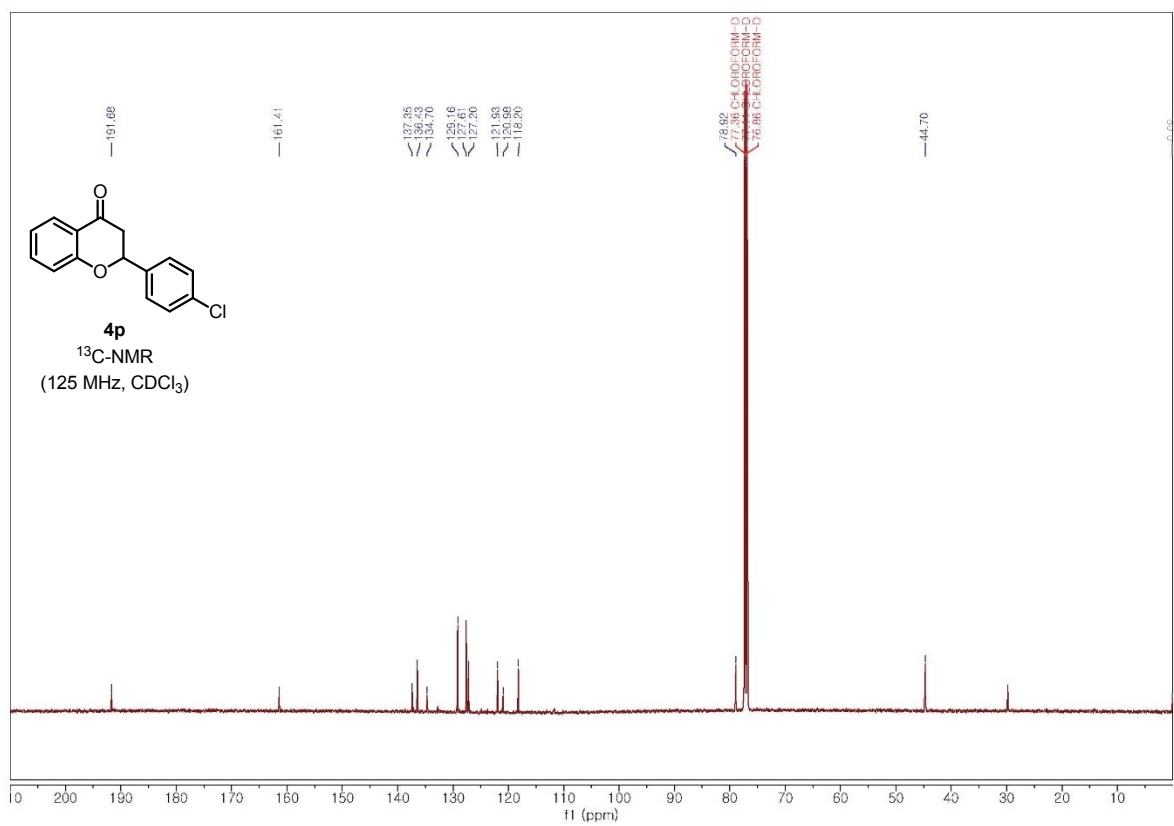
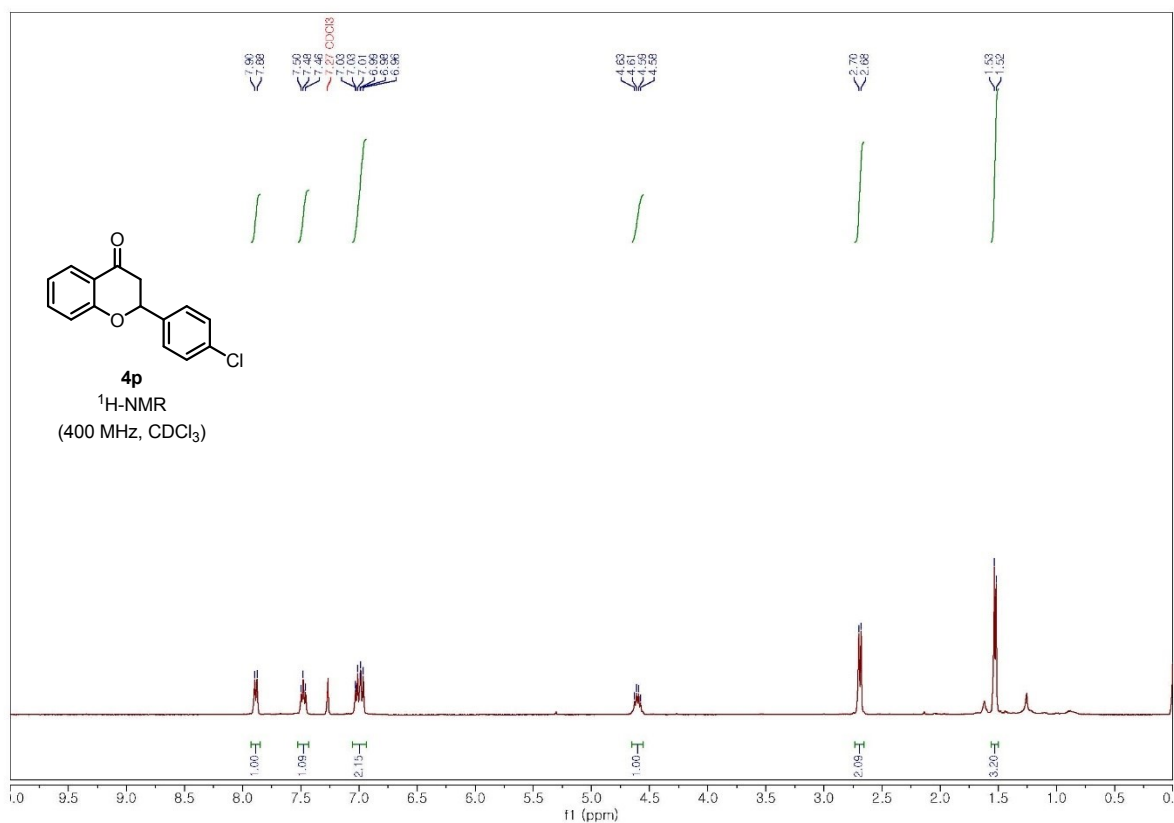


2-(4-fluorophenyl)chroman-4-one **4o**

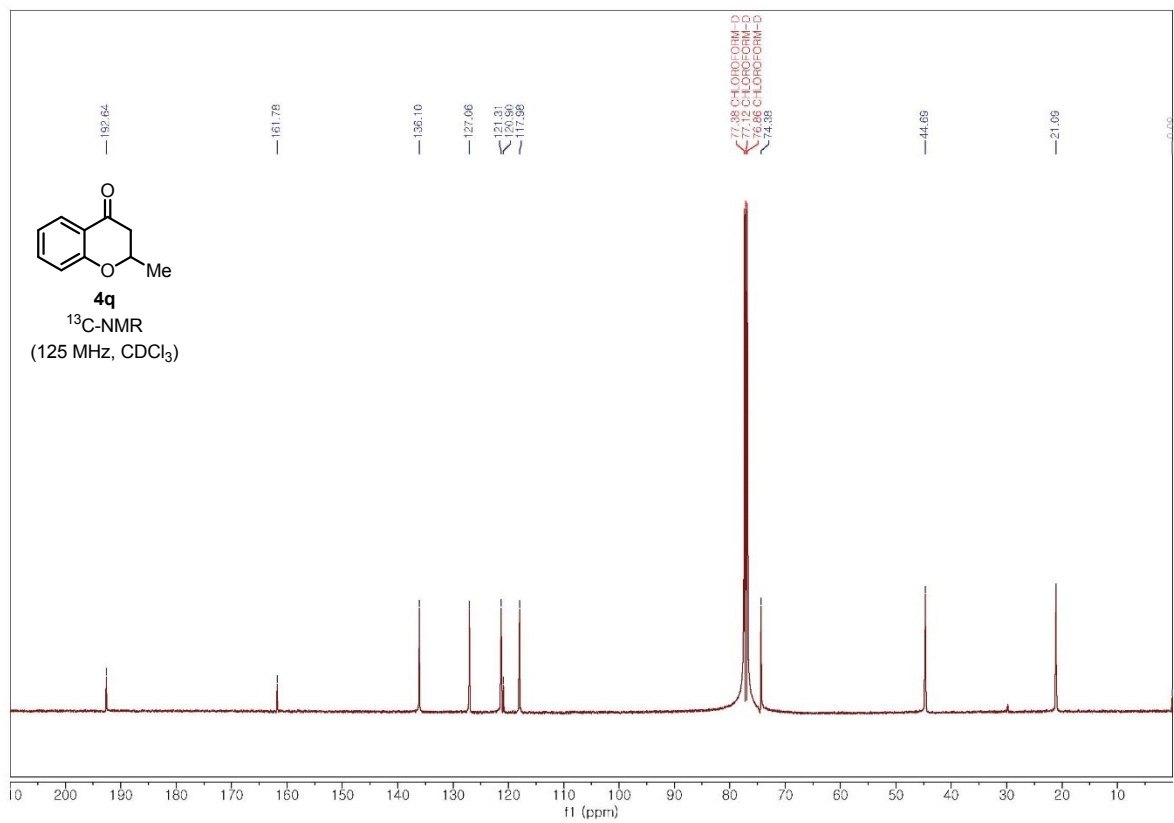
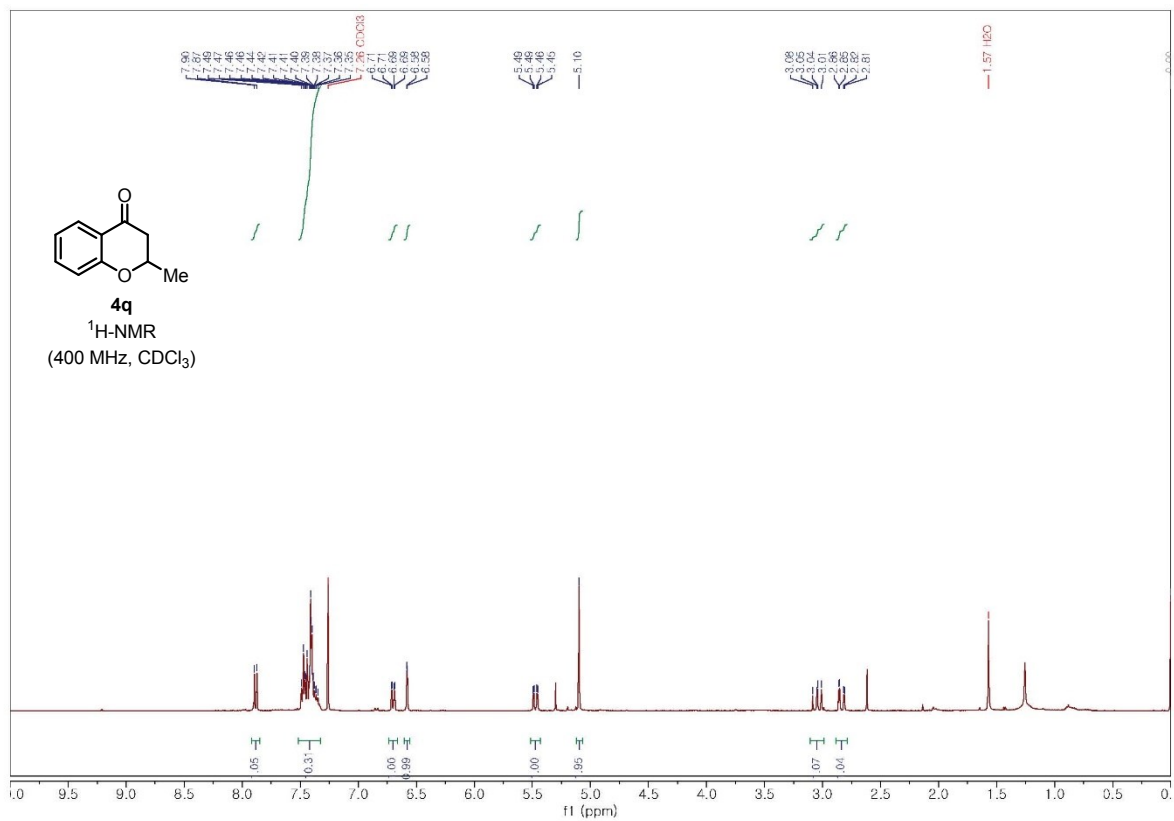




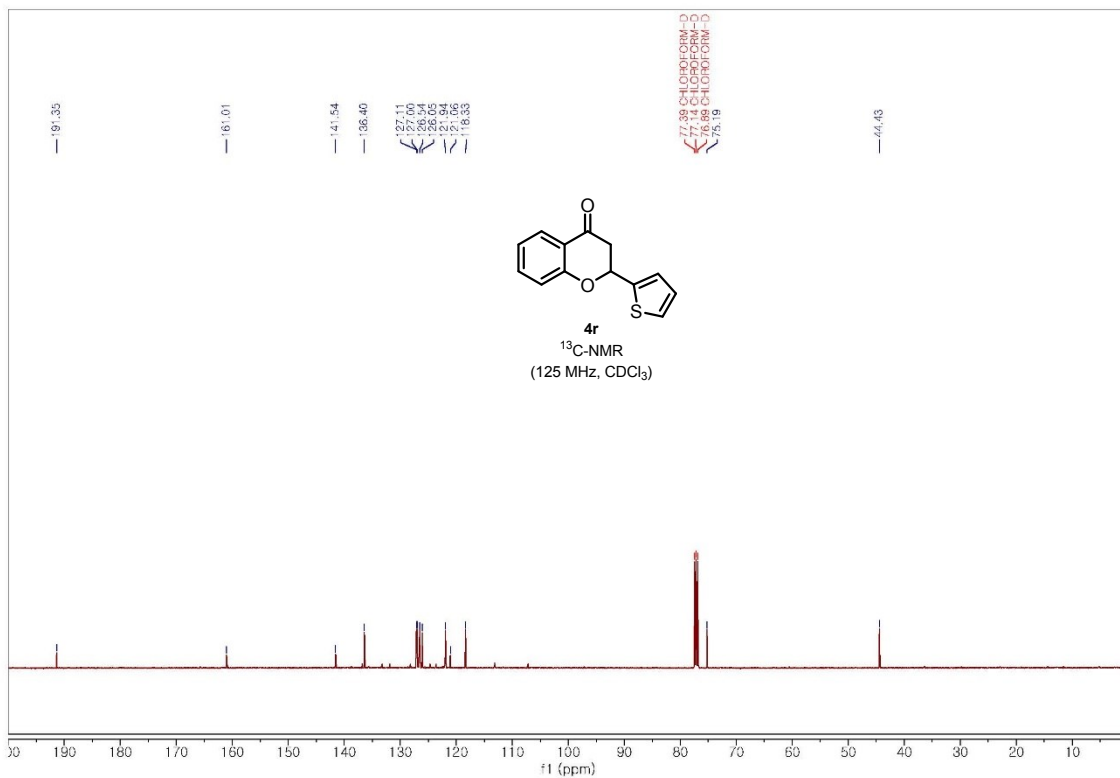
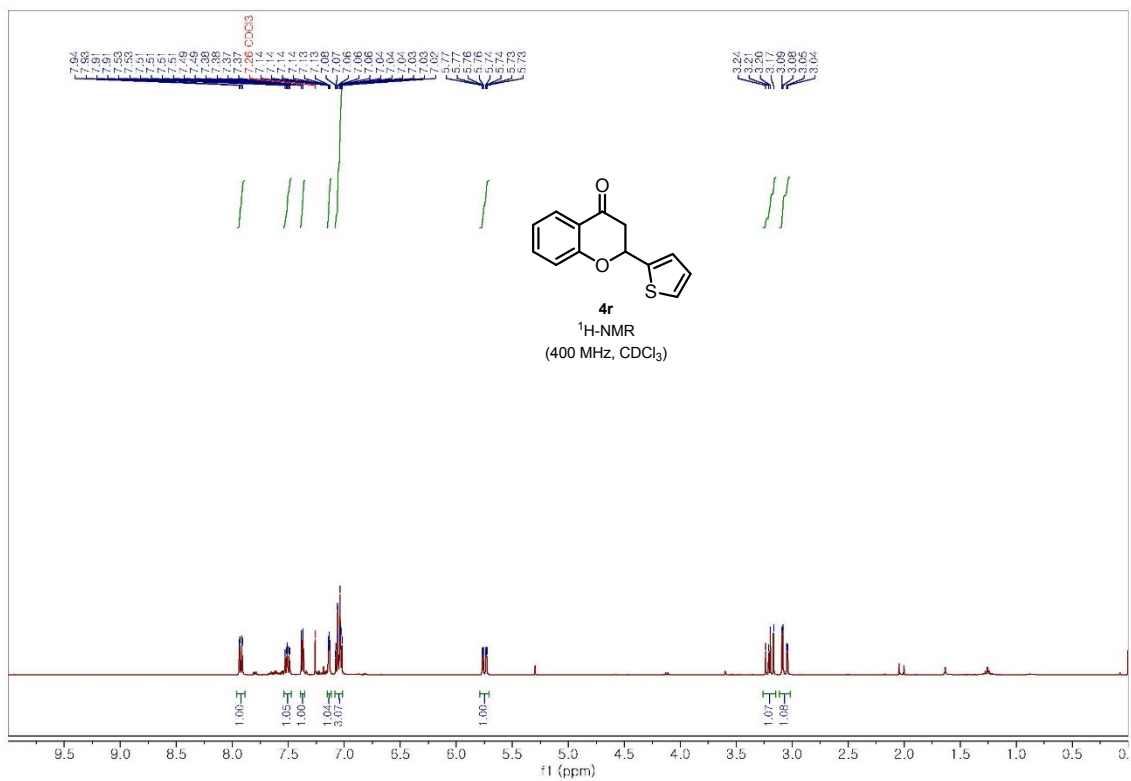
2-(4-chlorophenyl)chroman-4-one **4p**



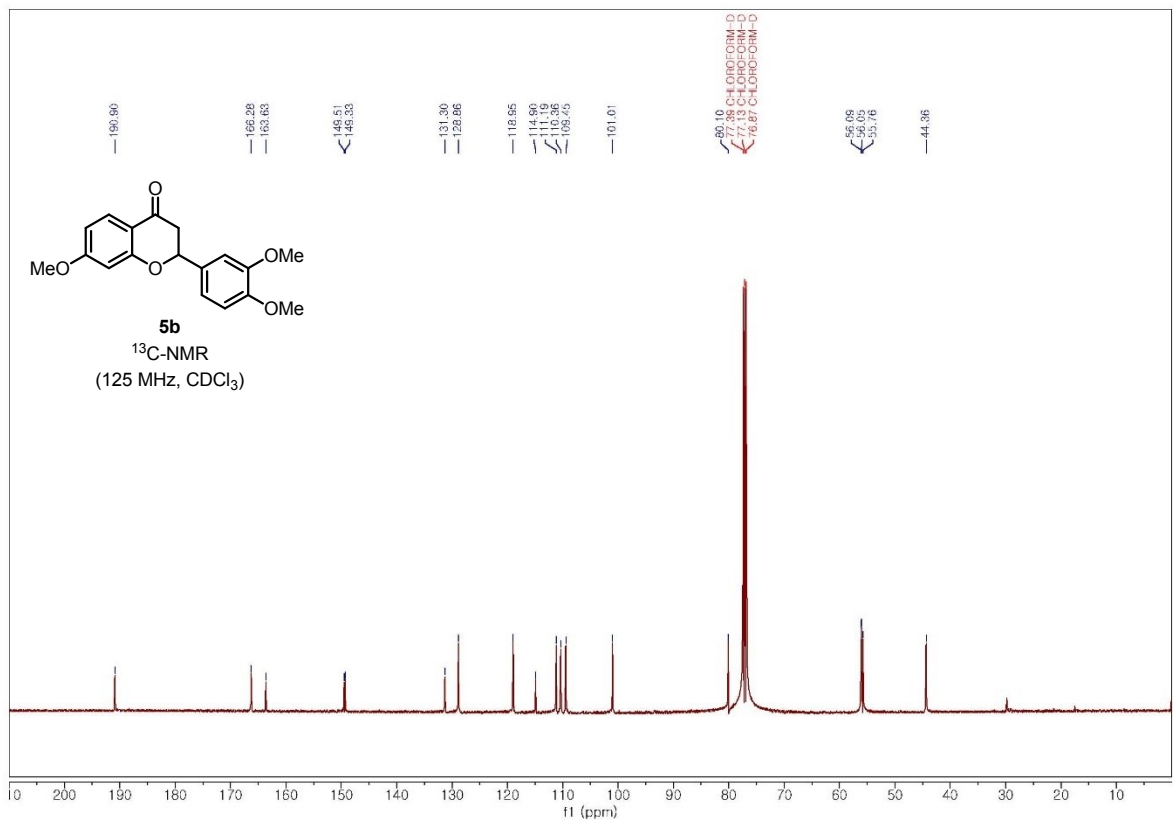
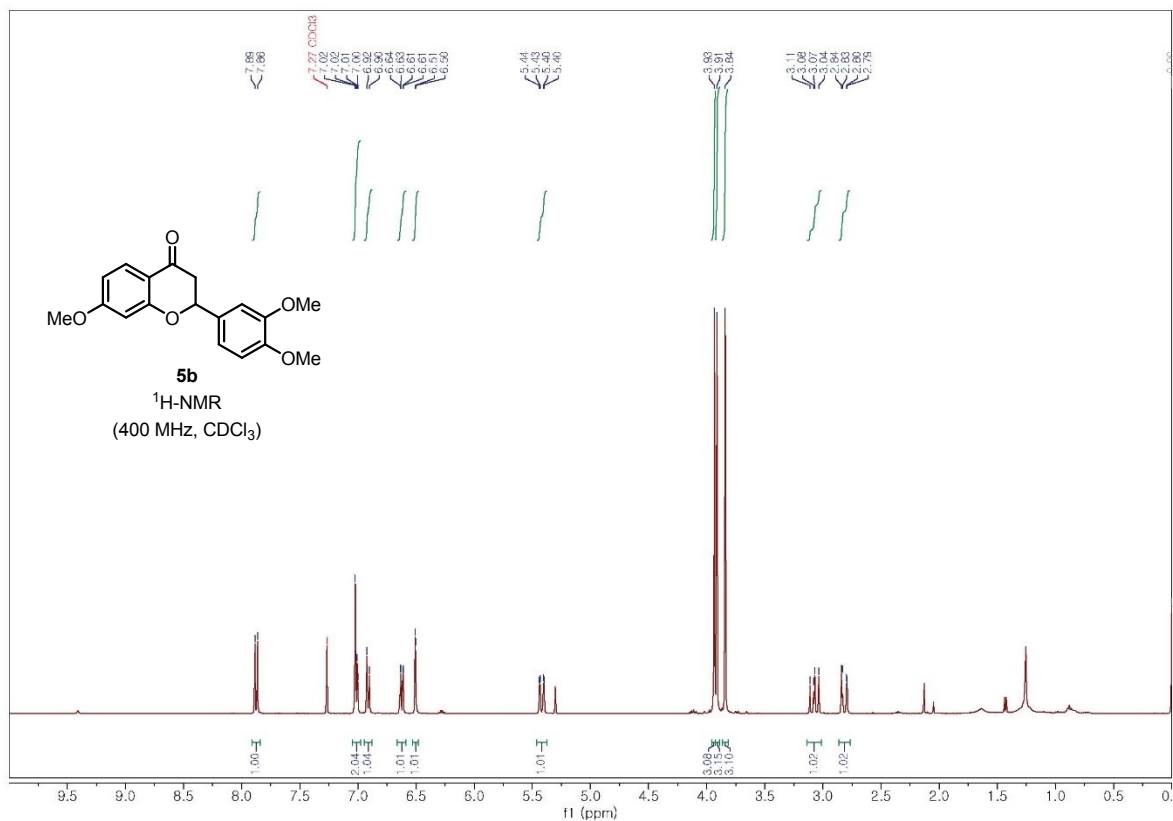
2-methylchroman-4-one **4q**



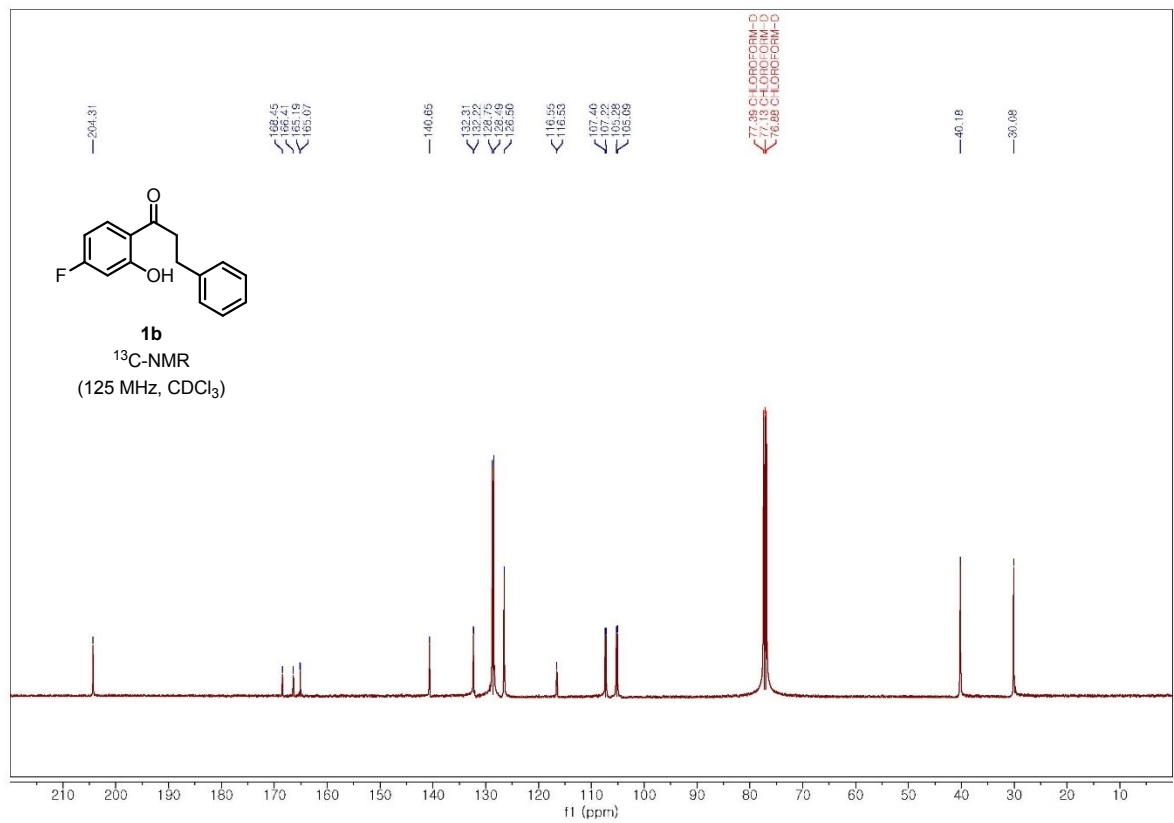
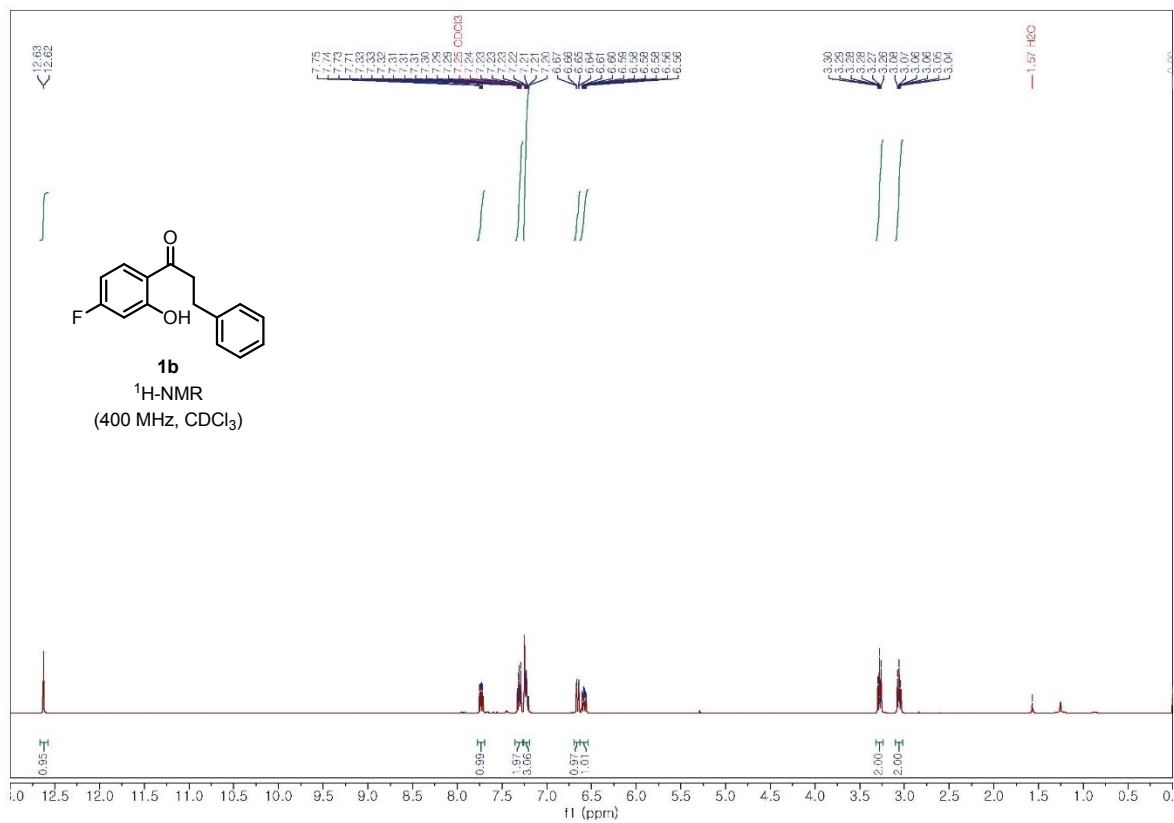
2-(thiophen-2-yl)chroman-4-one **4r**

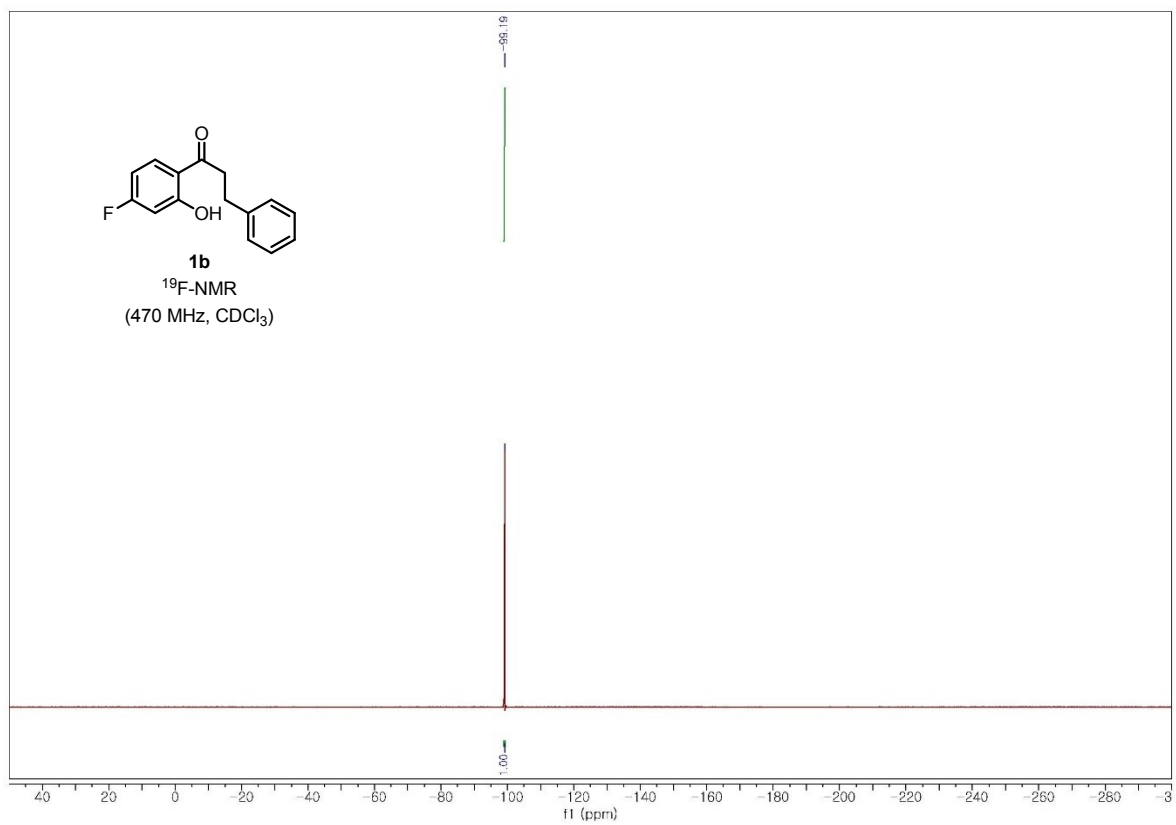


2-(3,4-dimethoxyphenyl)-7-methoxychroman-4-one **5b**

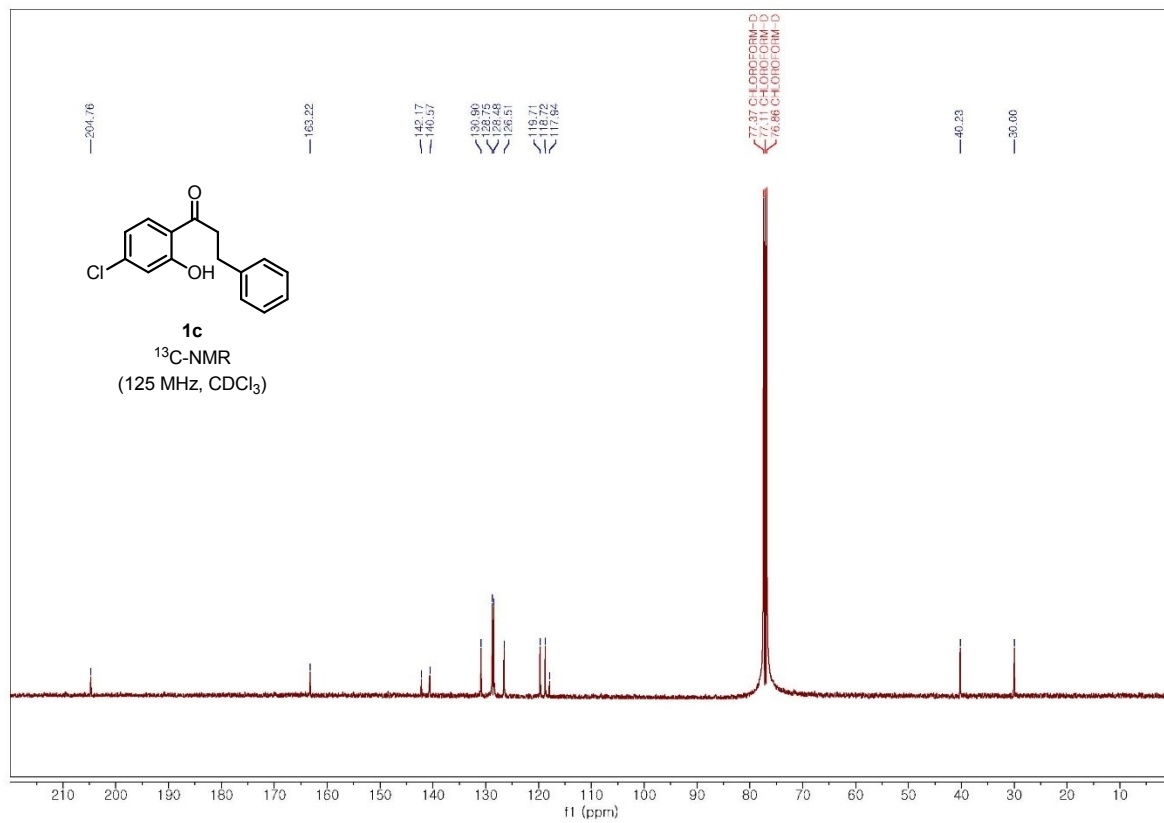
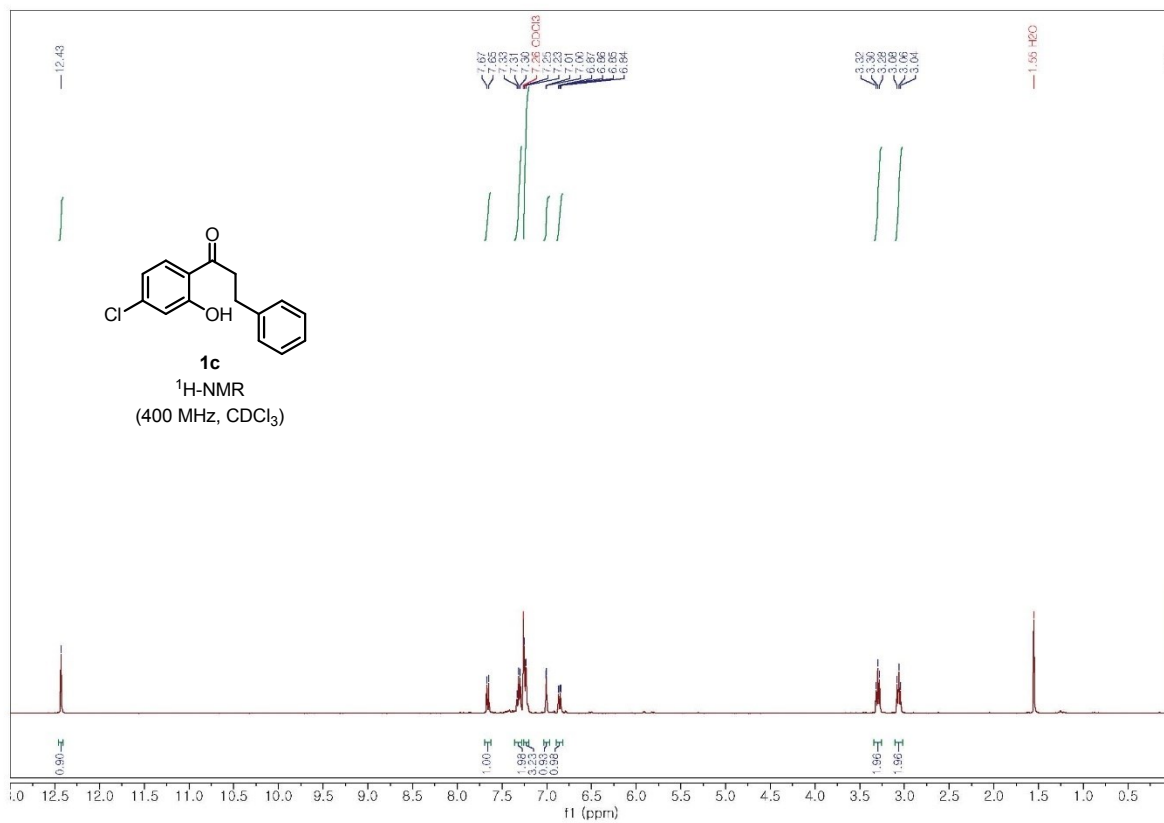


1-(4-fluoro-2-hydroxyphenyl)-3-phenylpropan-1-one **1b**

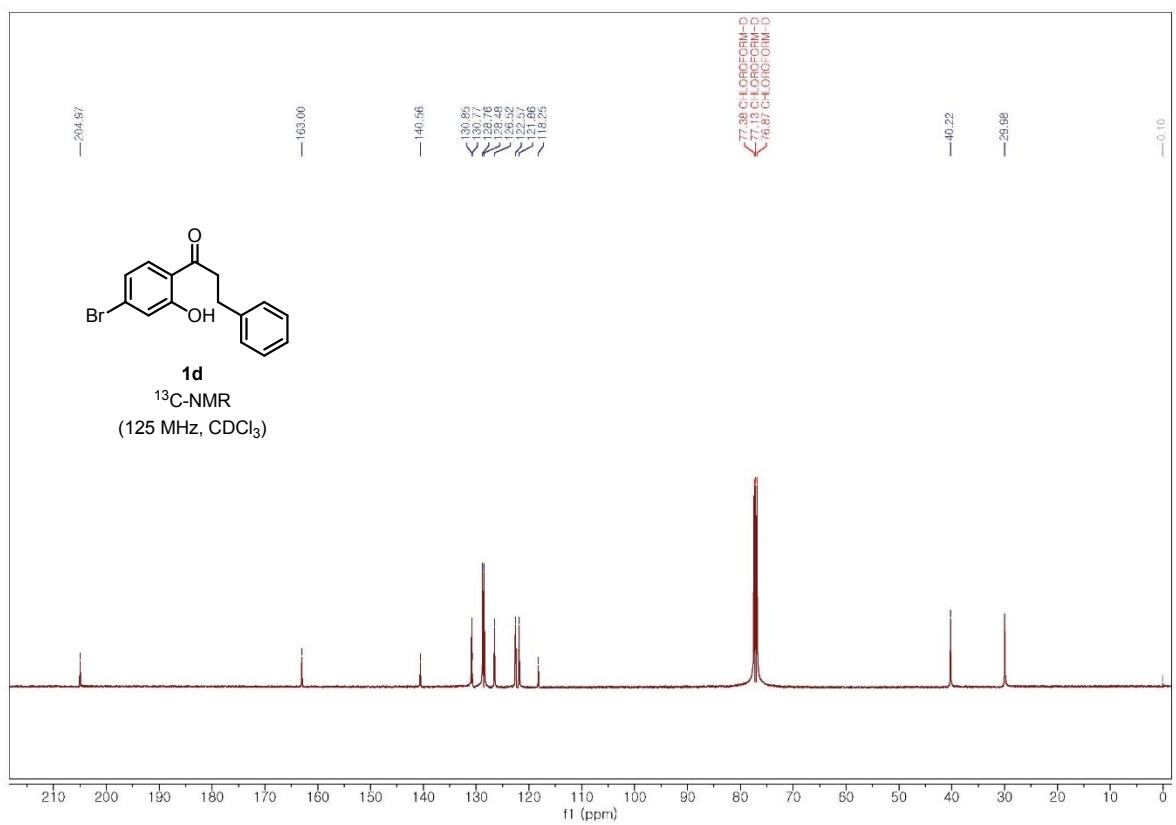
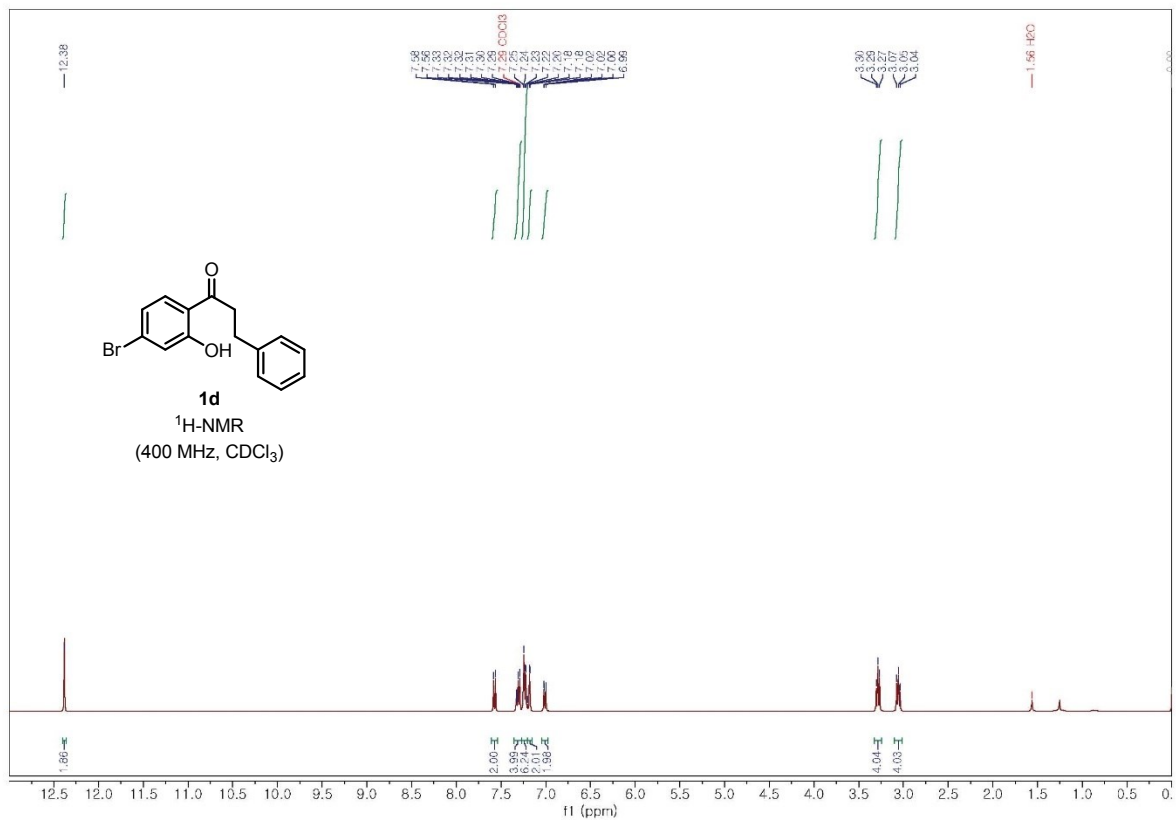




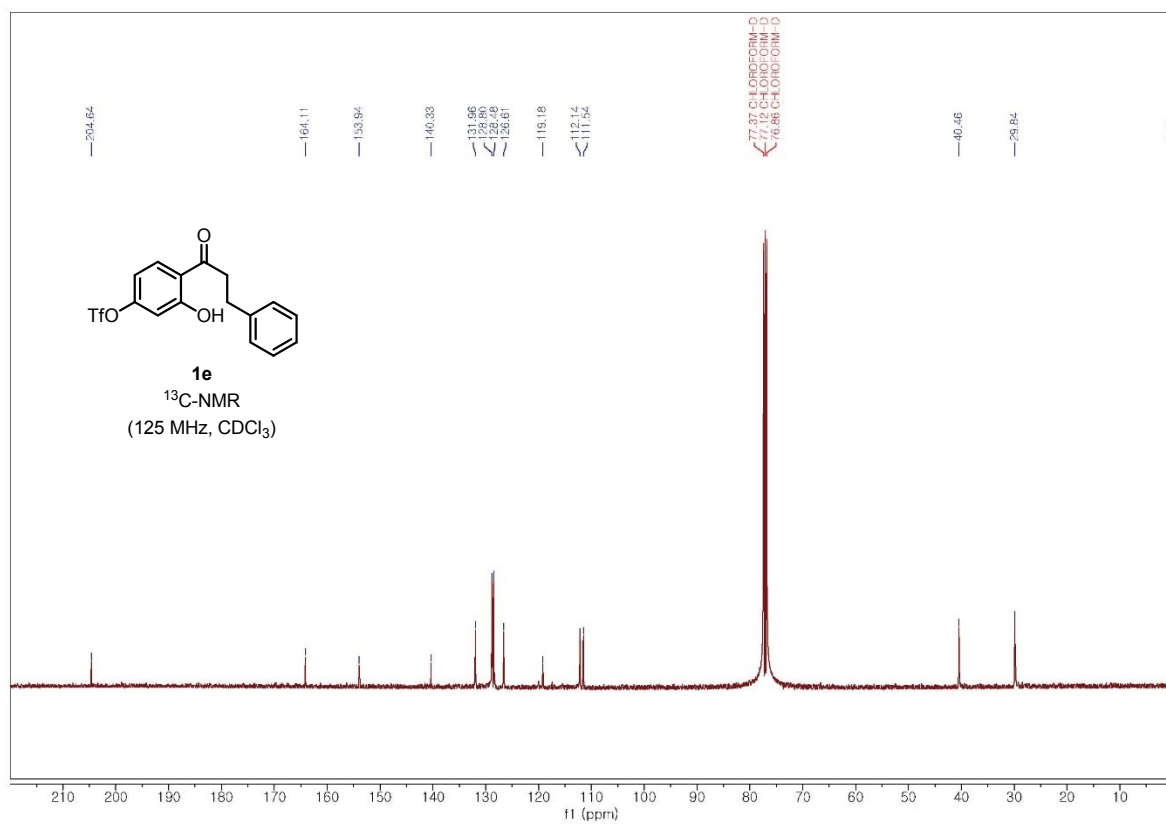
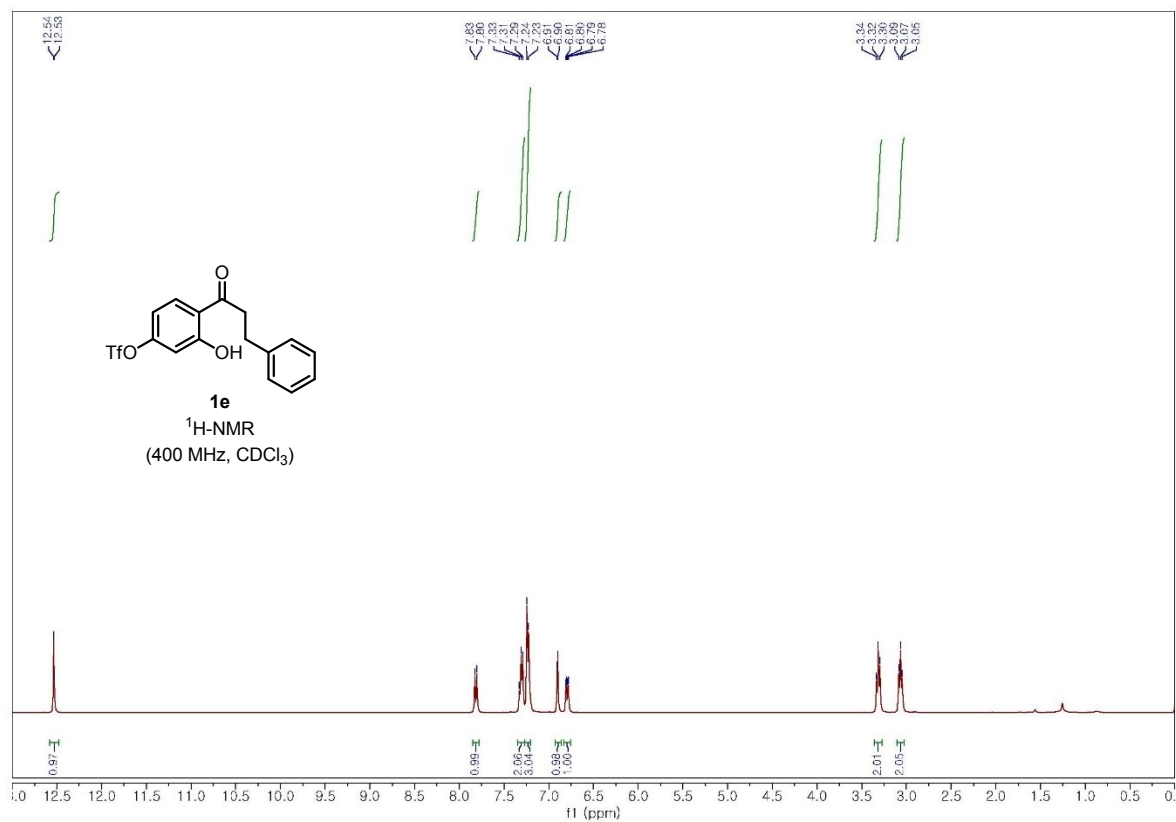
1-(4-chloro-2-hydroxyphenyl)-3-phenylpropan-1-one **1c**

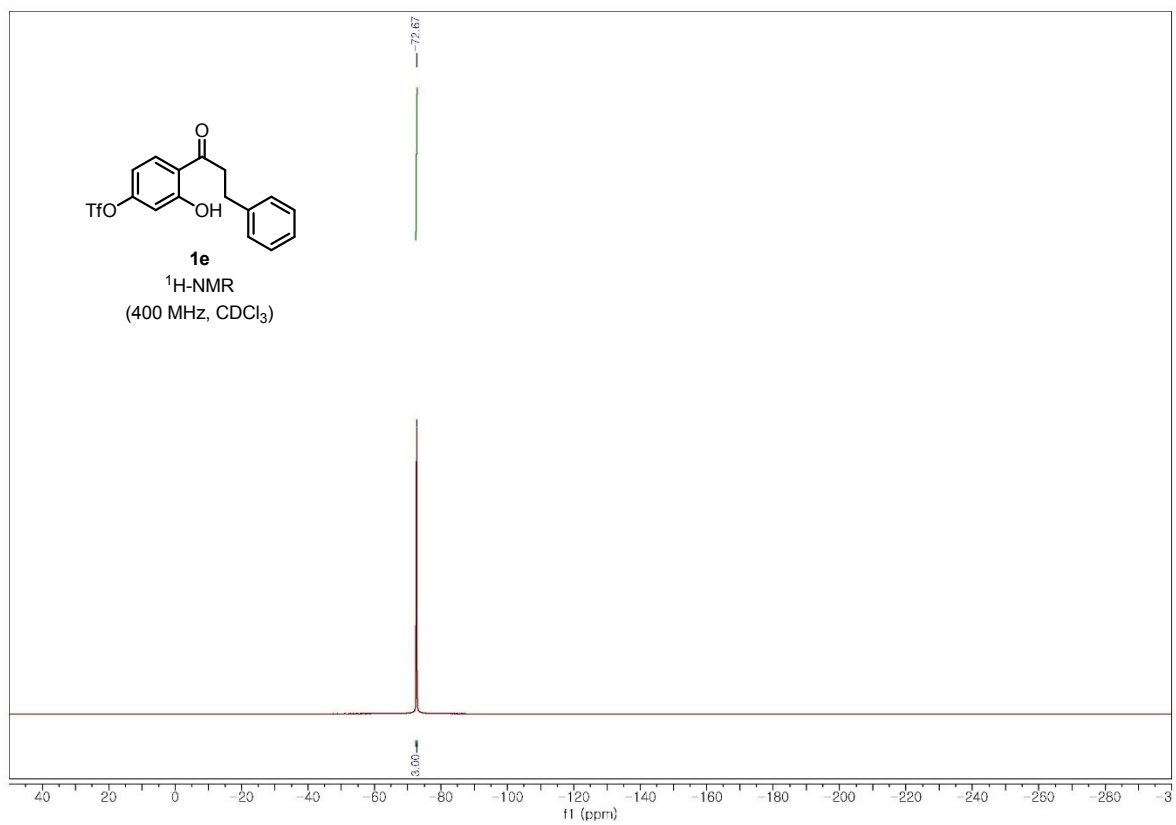


1-(4-bromo-2-hydroxyphenyl)-3-phenylpropan-1-one **1d**

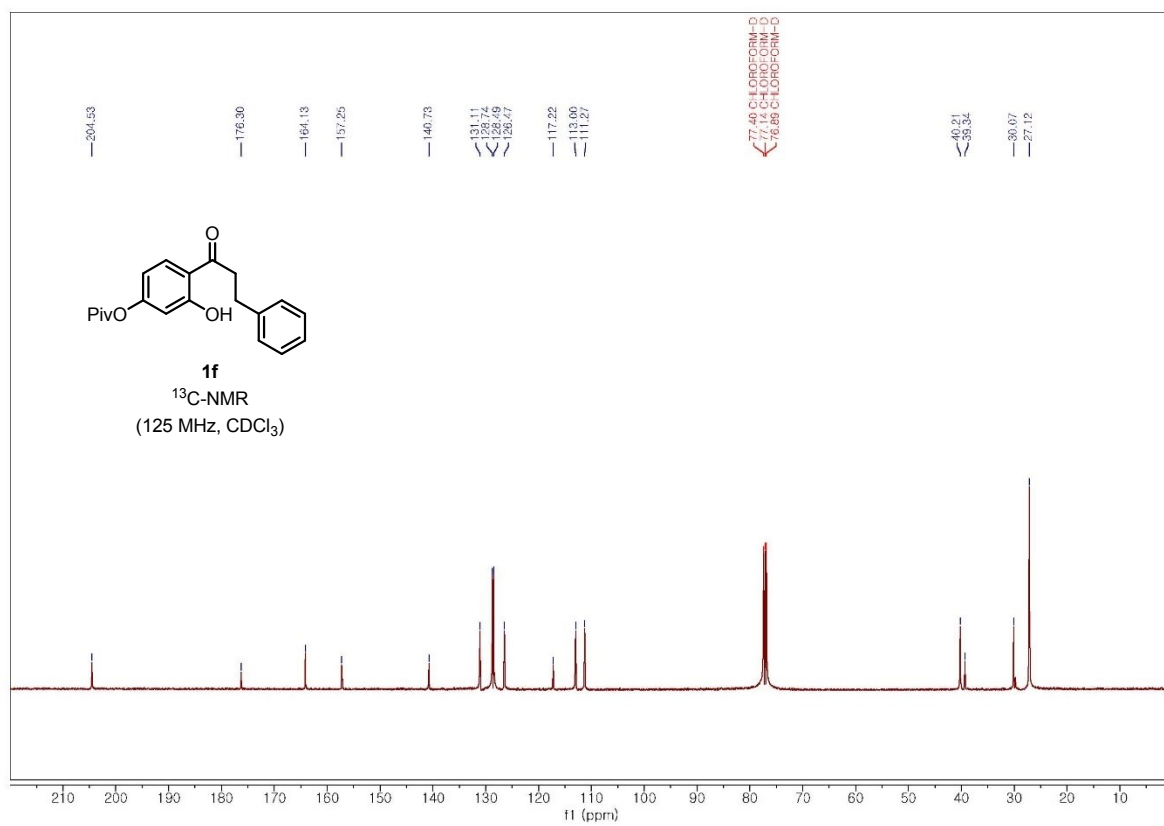
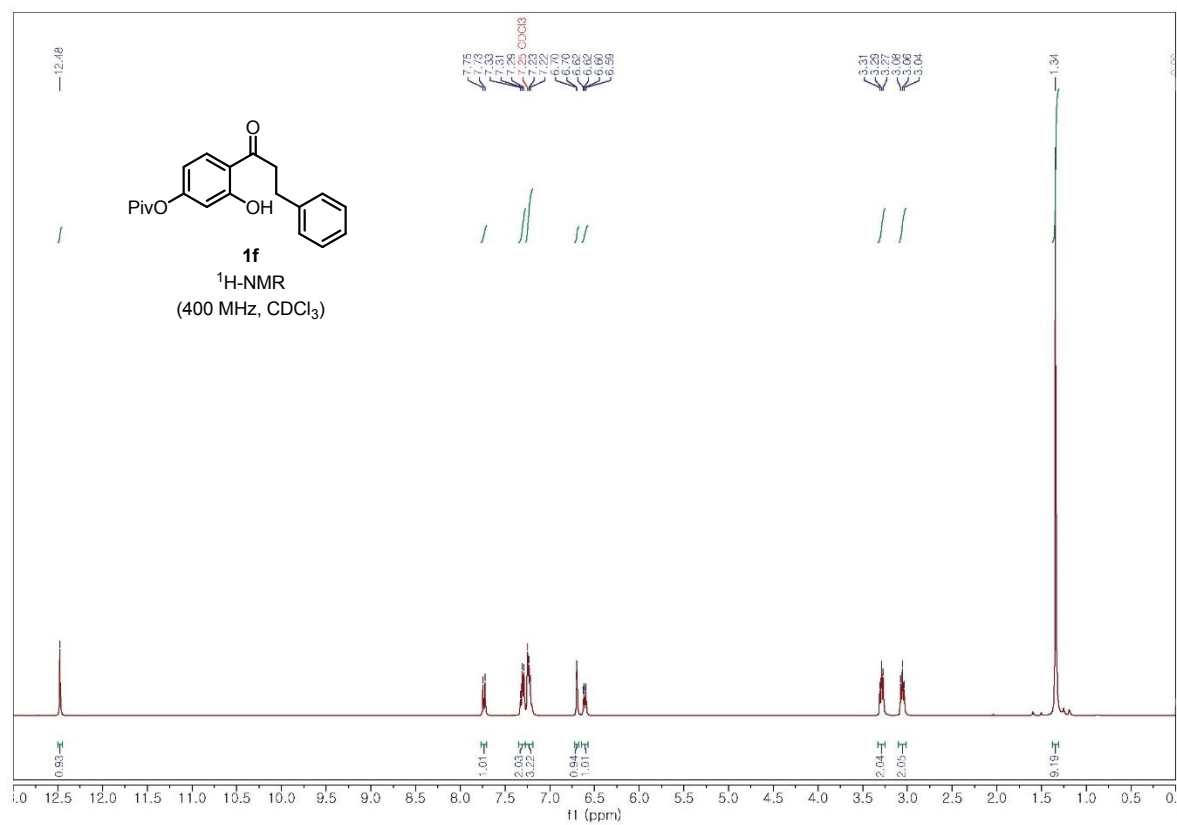


3-hydroxy-4-(3-phenylpropanoyl)phenyl trifluoromethanesulfonate **1e**

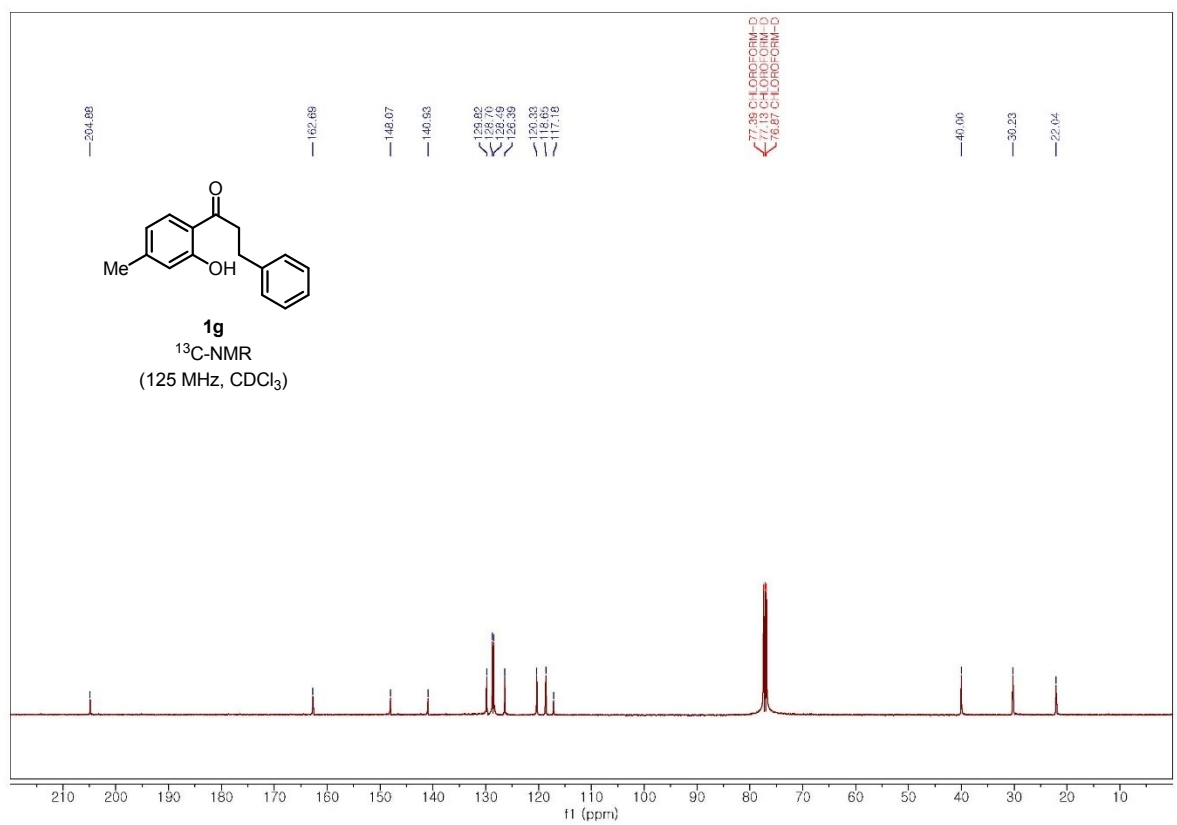
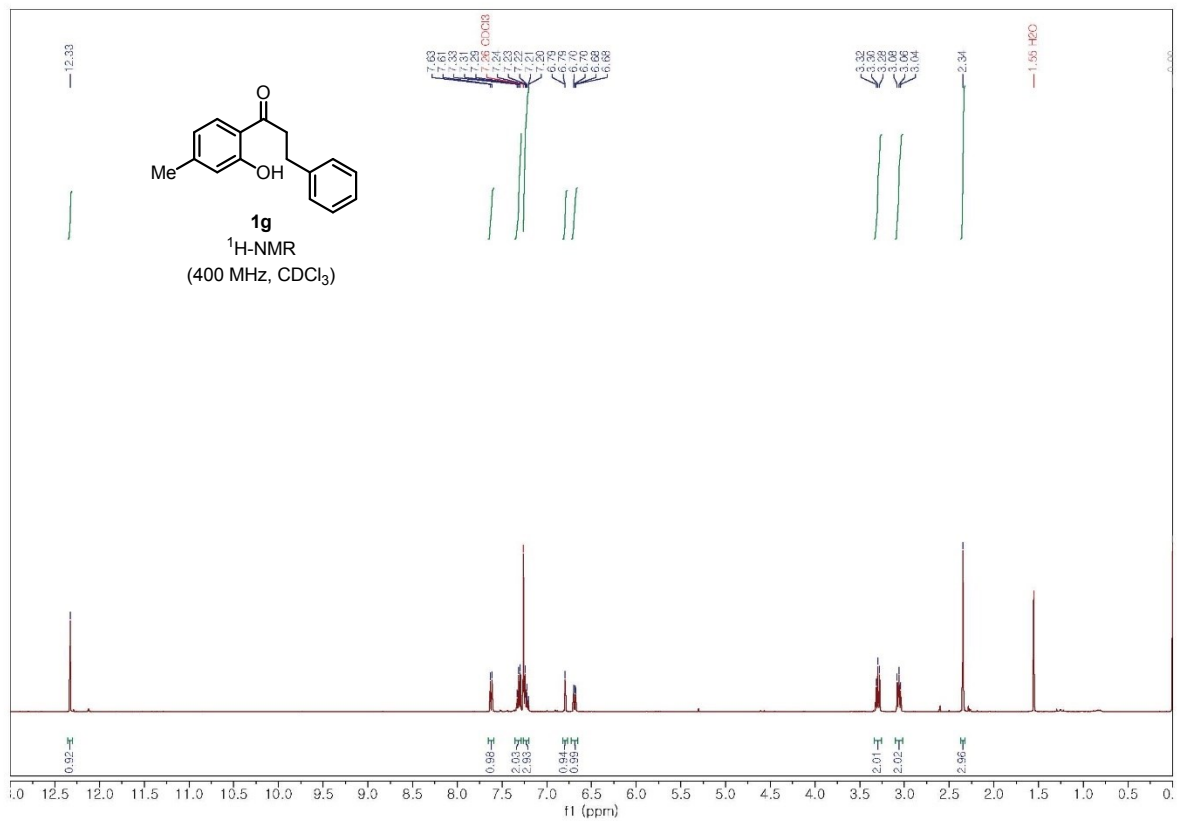




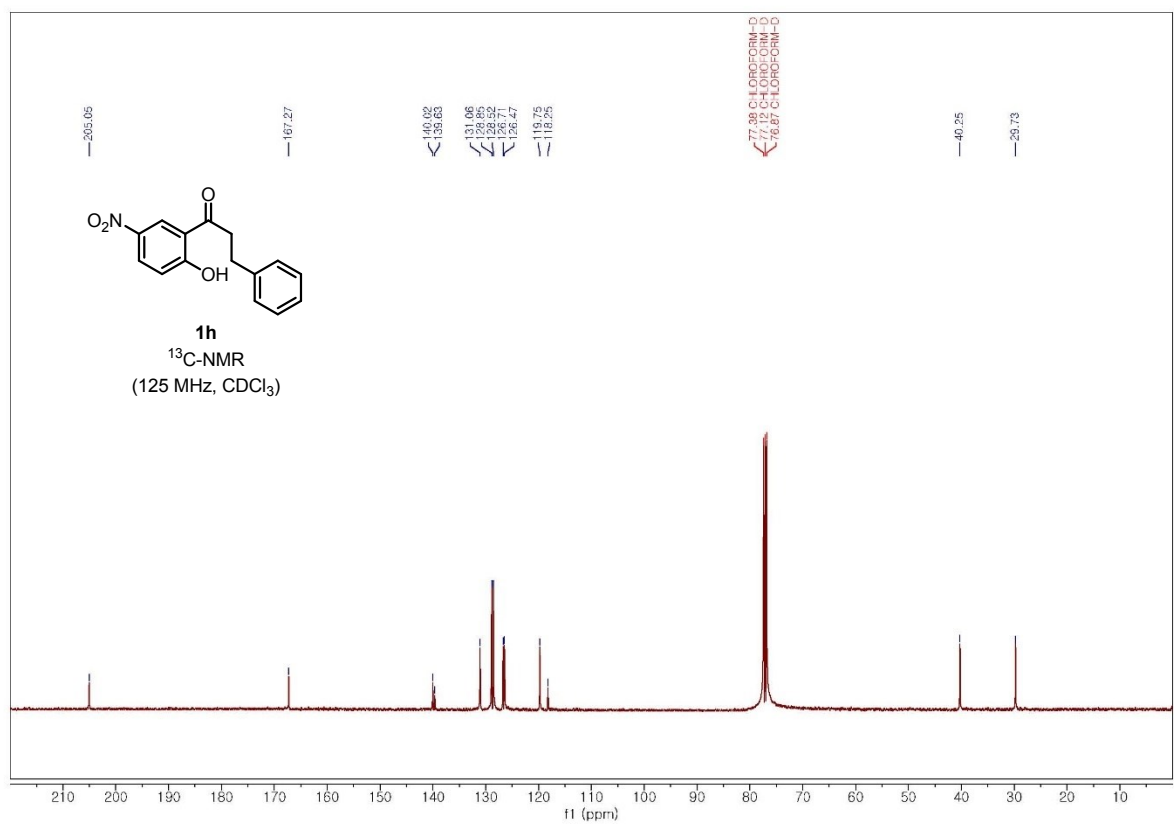
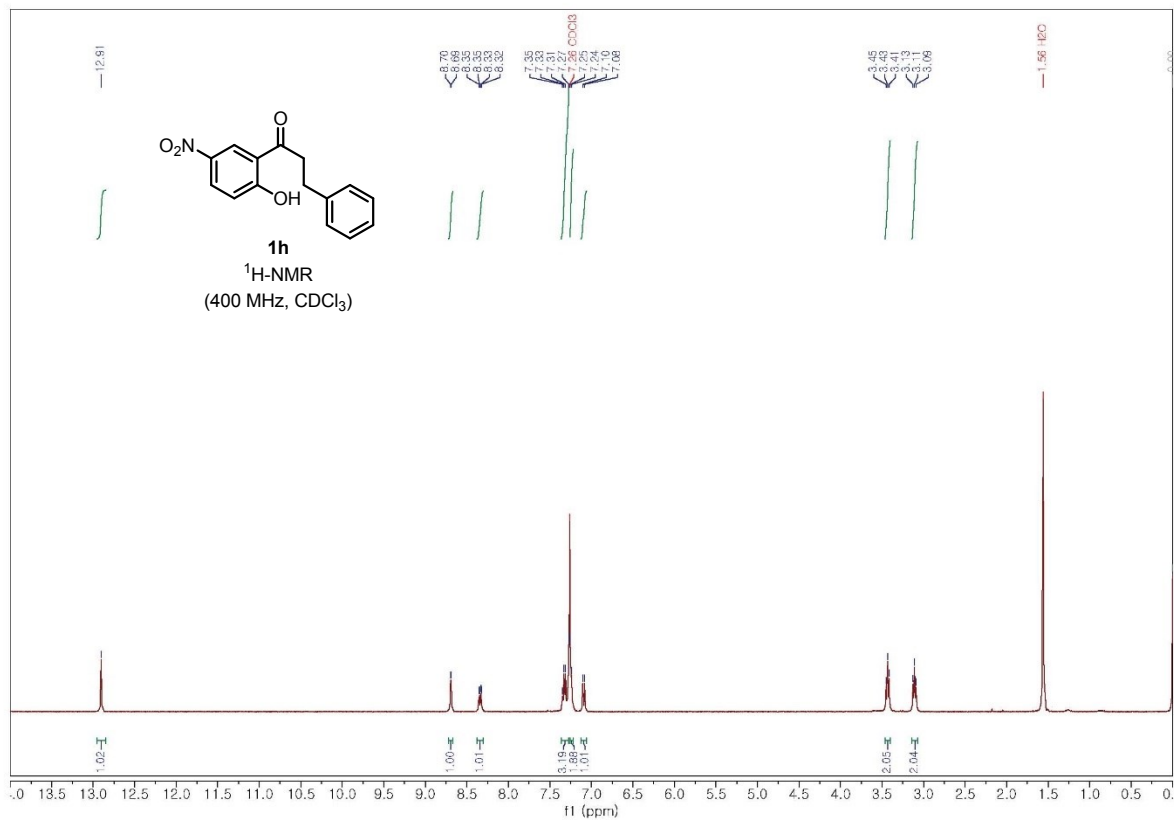
3-hydroxy-4-(3-phenylpropanoyl)phenyl pivalate **1f**



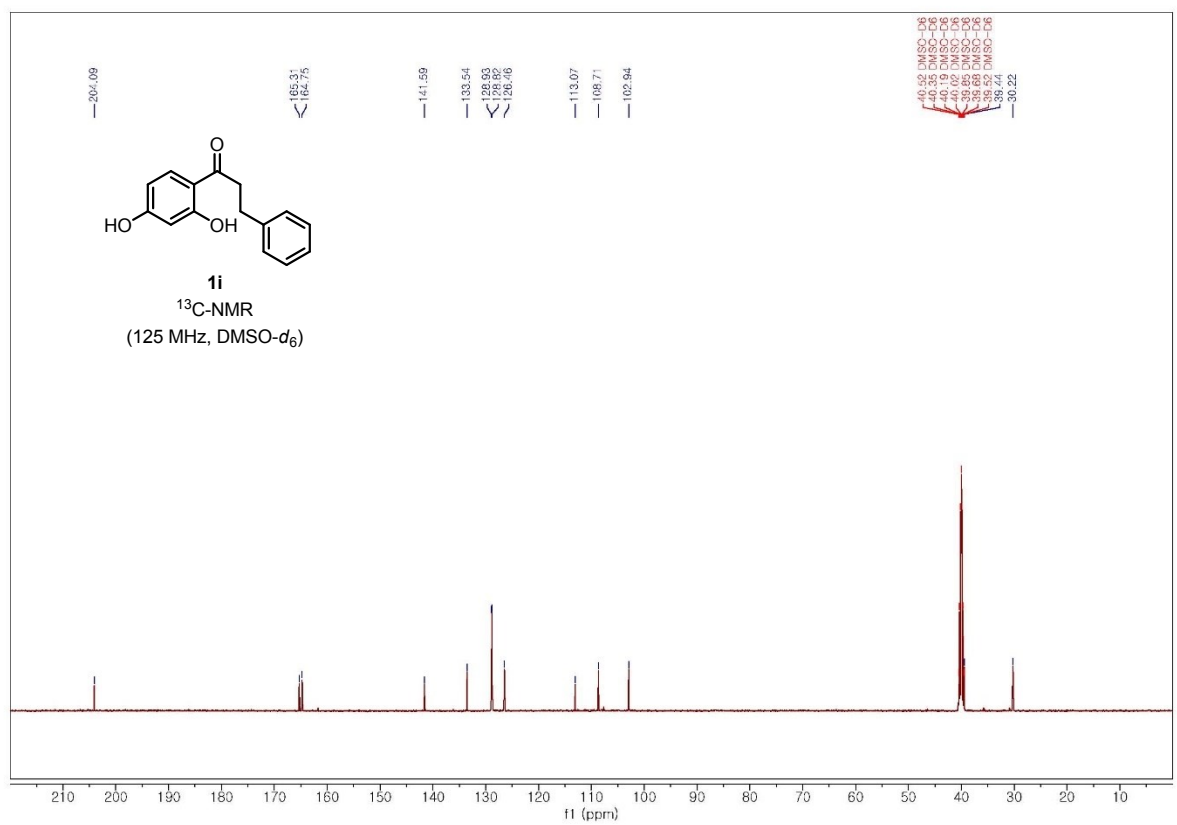
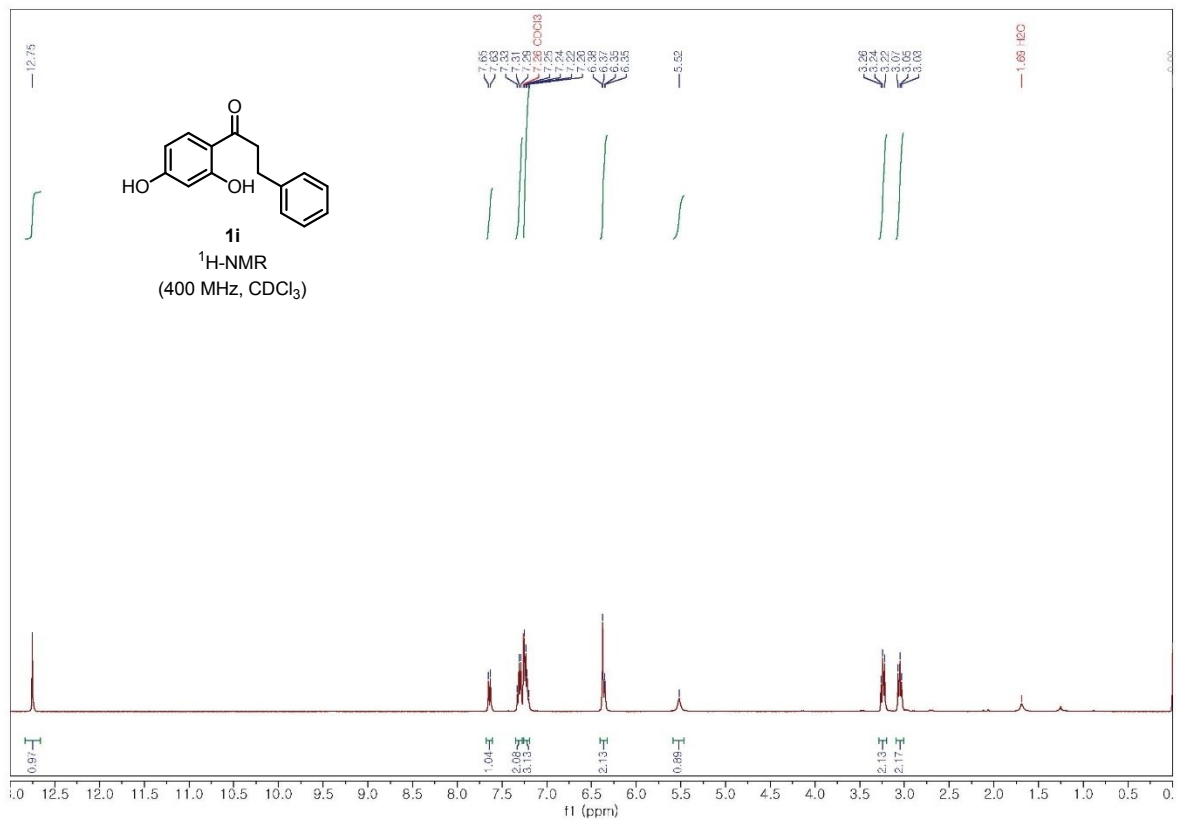
1-(2-hydroxy-4-methylphenyl)-3-phenylpropan-1-one **1g**



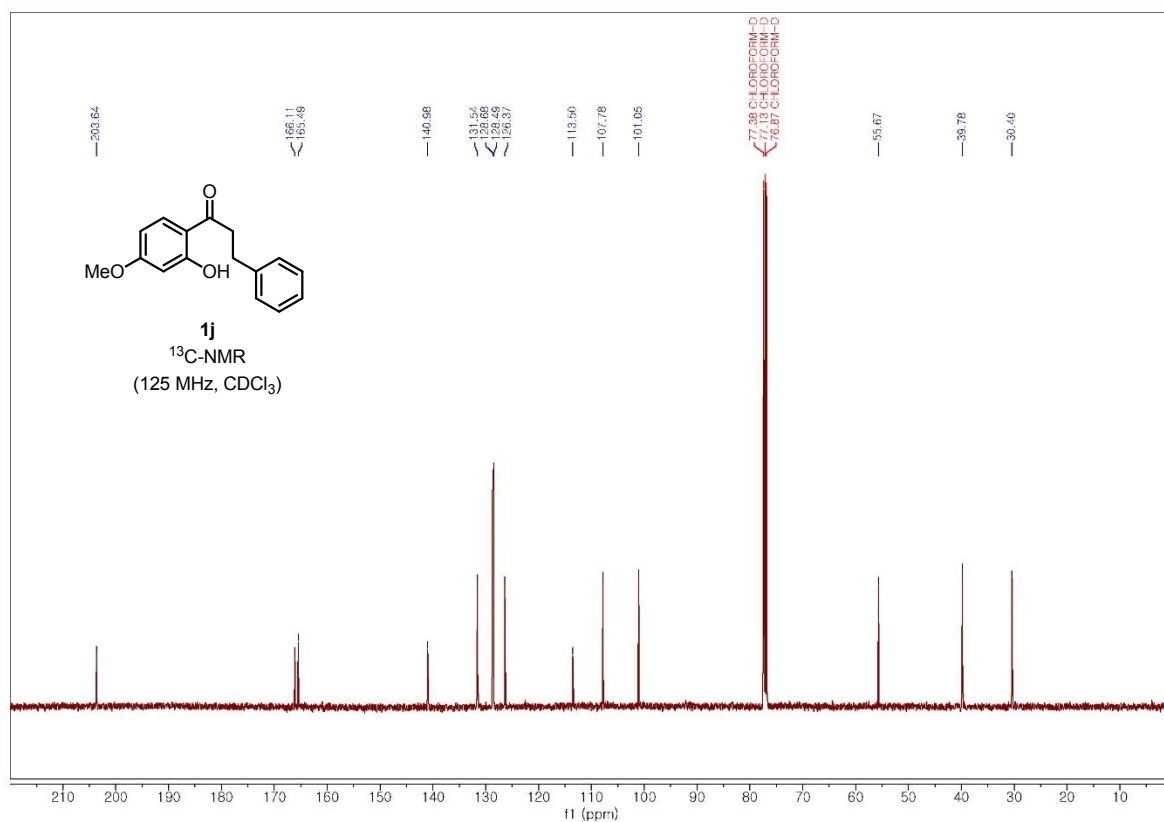
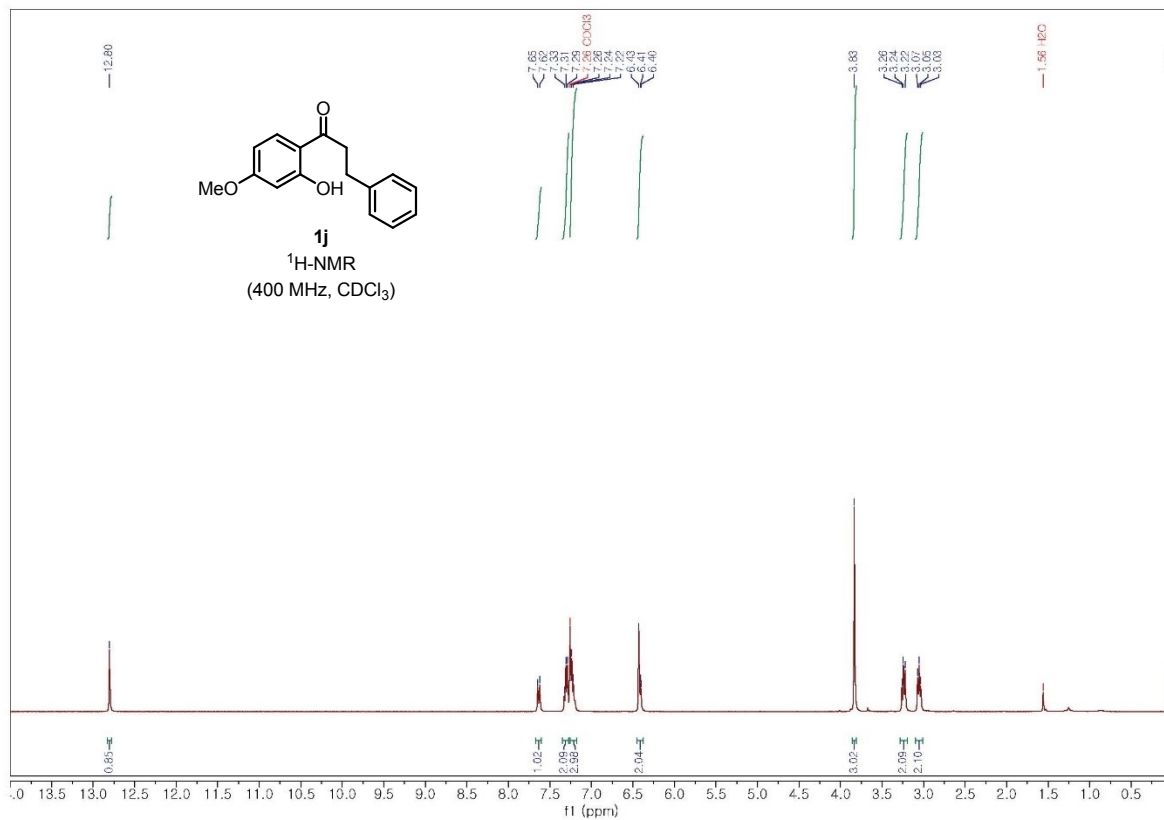
1-(2-hydroxy-5-nitrophenyl)-3-phenylpropan-1-one **1h**



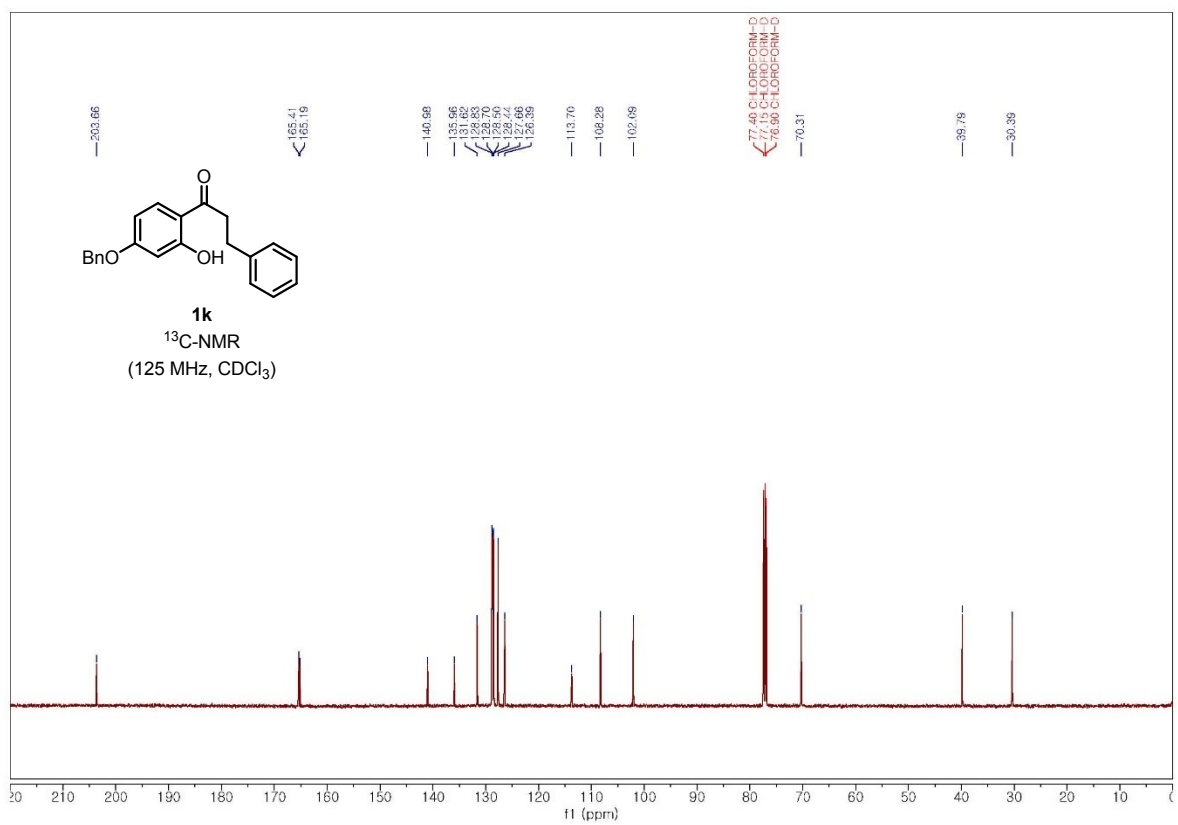
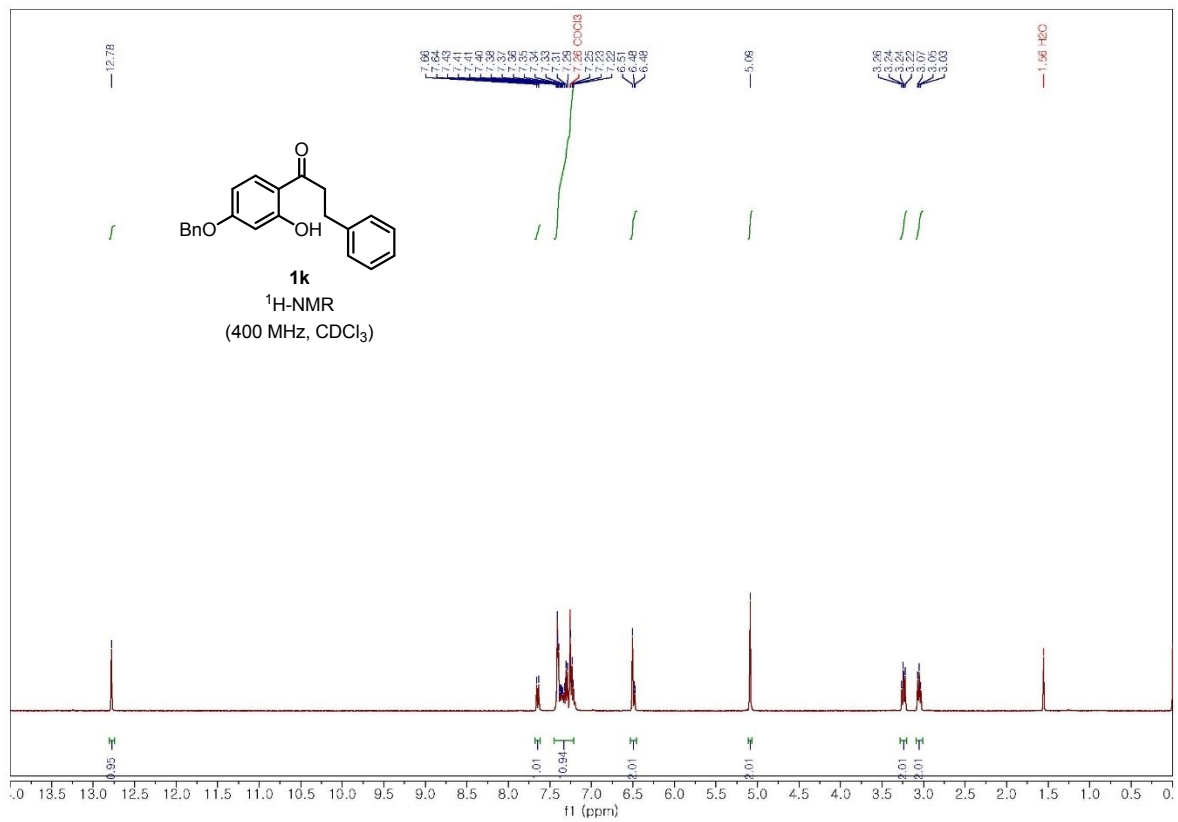
1-(2,4-dihydroxyphenyl)-3-phenylpropan-1-one **1i**



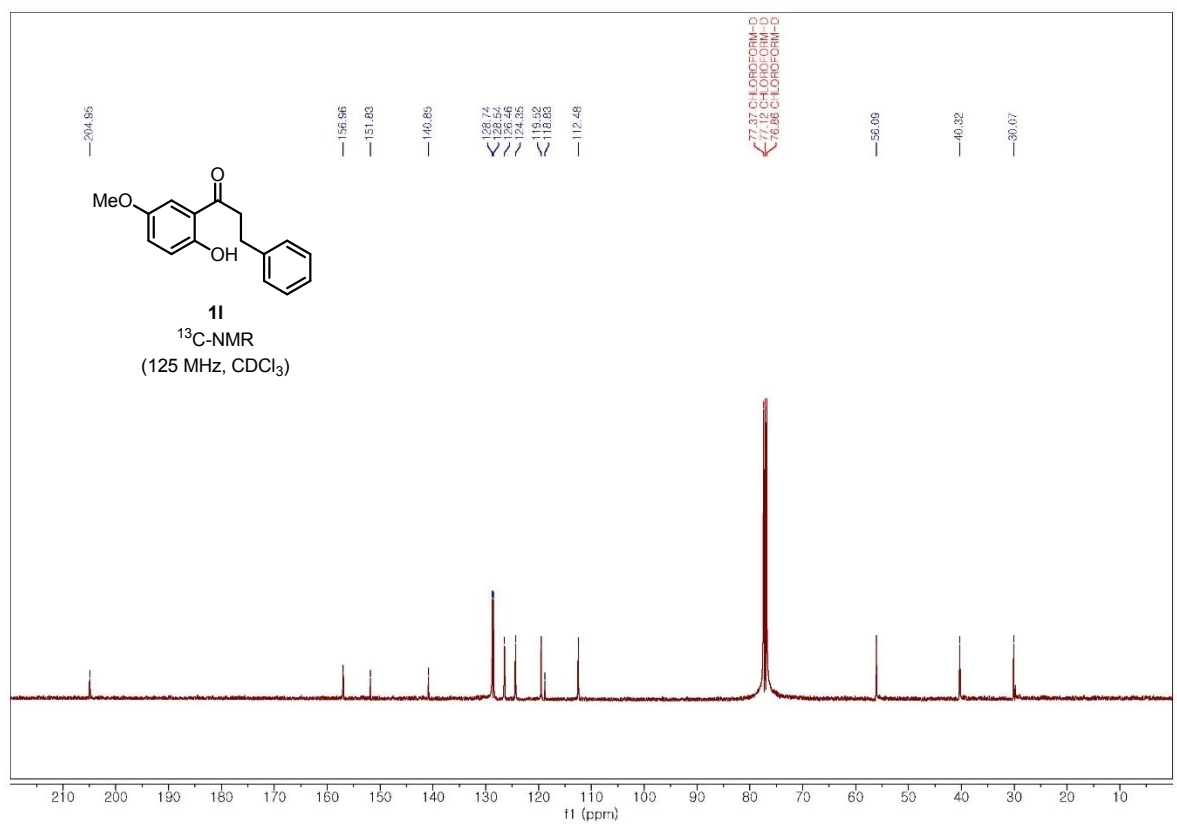
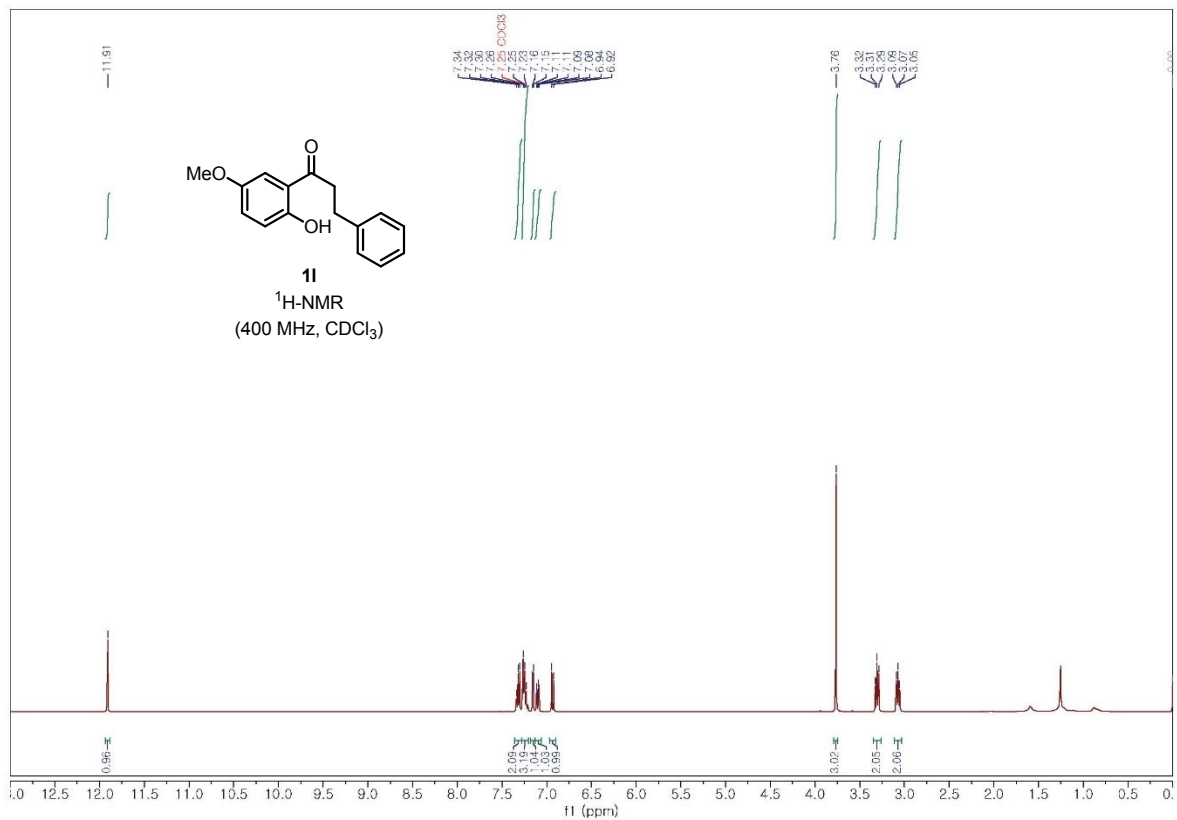
1-(2-hydroxy-4-methoxyphenyl)-3-phenylpropan-1-one **1j**



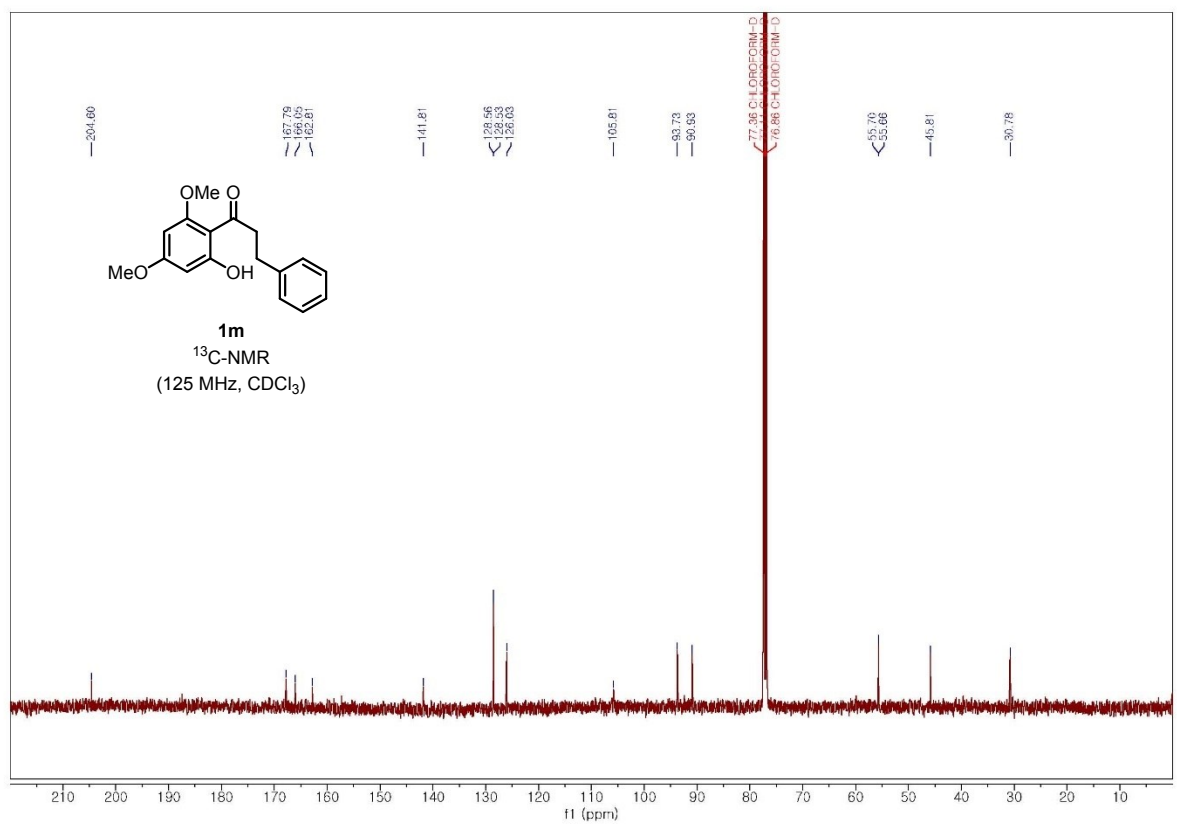
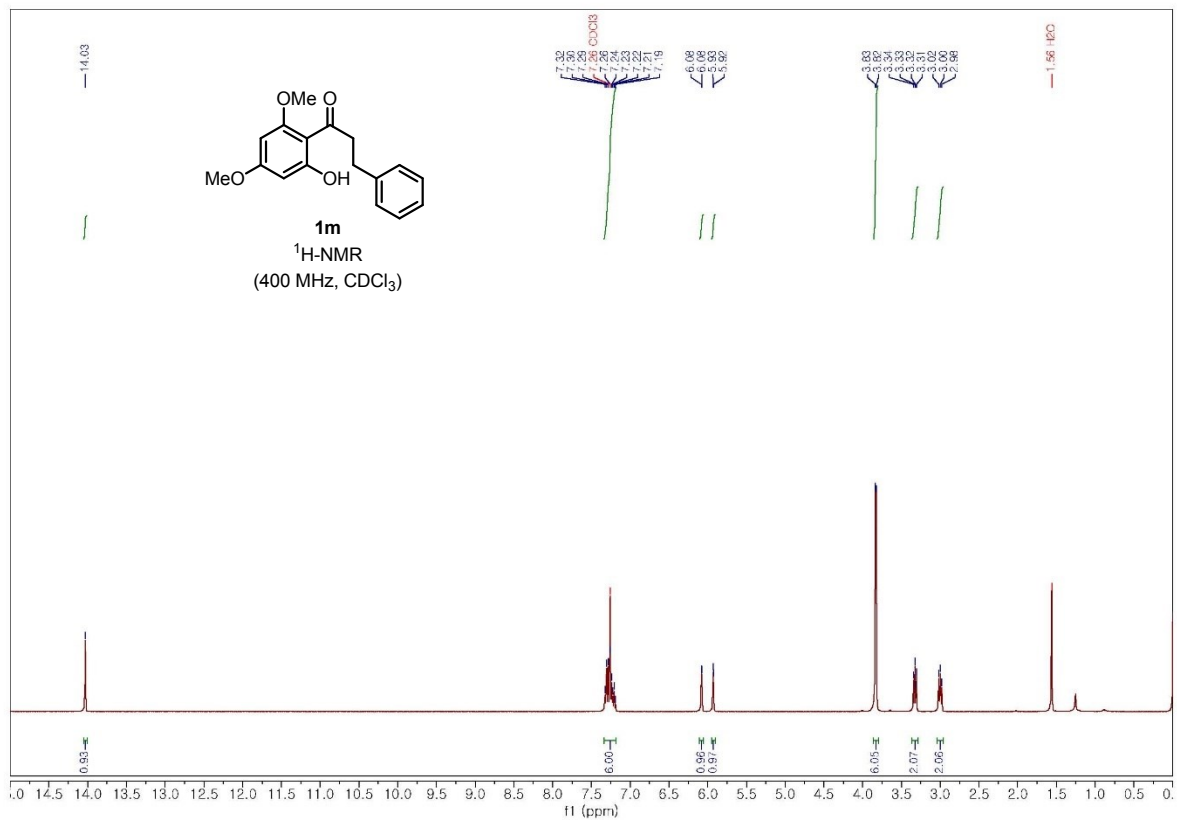
1-(4-(benzyloxy)-2-hydroxyphenyl)-3-phenylpropan-1-one **1k**



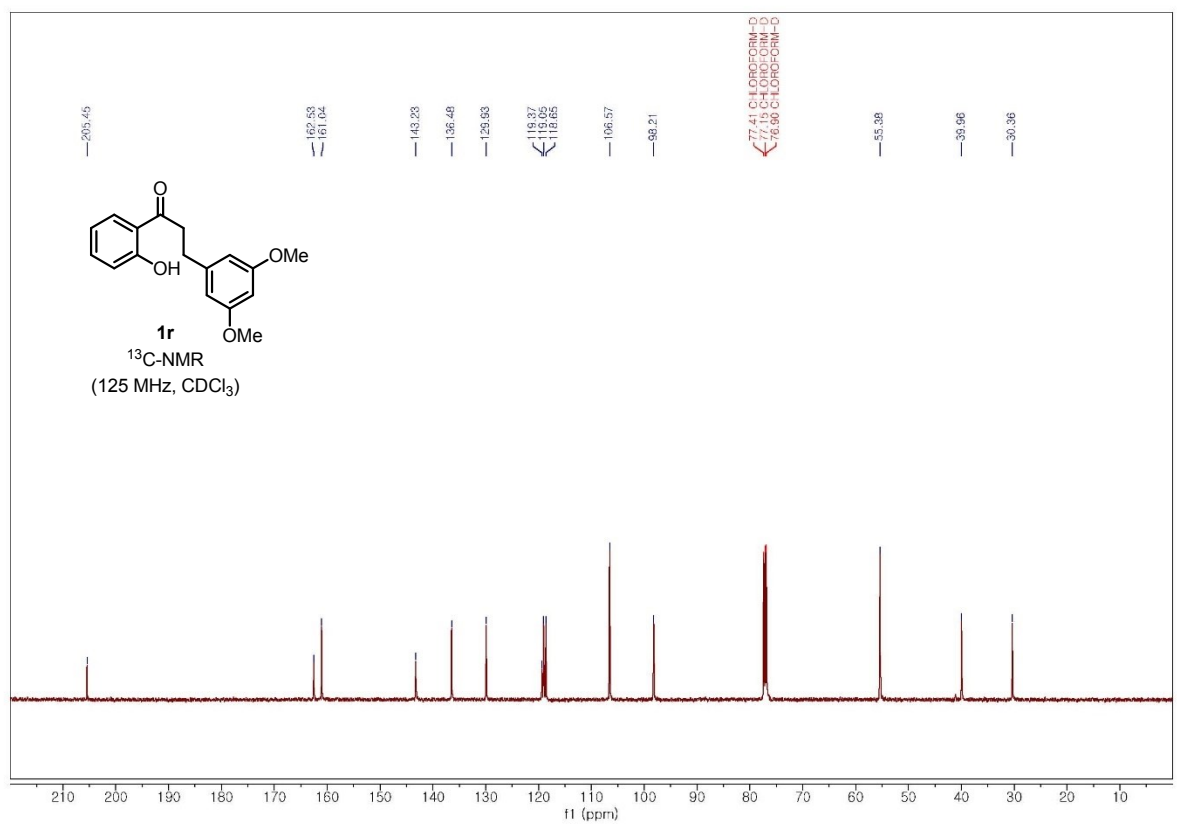
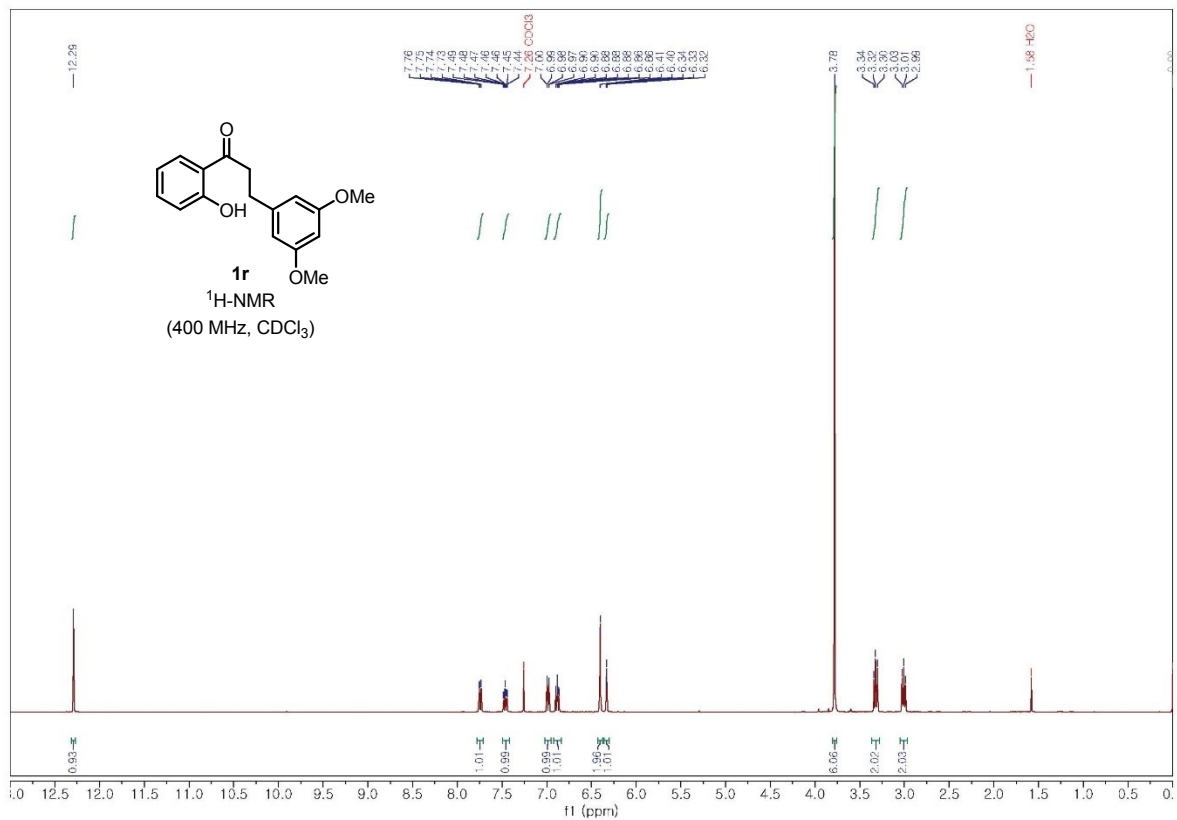
1-(2-hydroxy-5-methoxyphenyl)-3-phenylpropan-1-one **11**



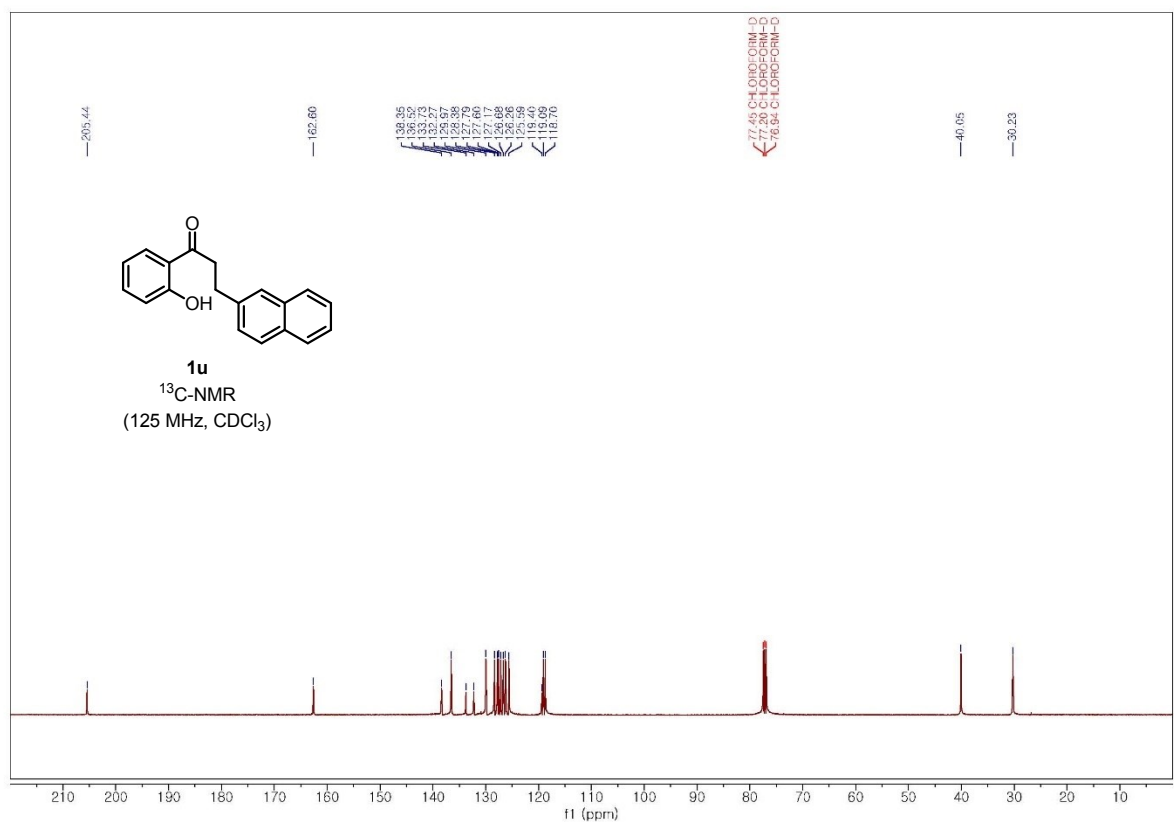
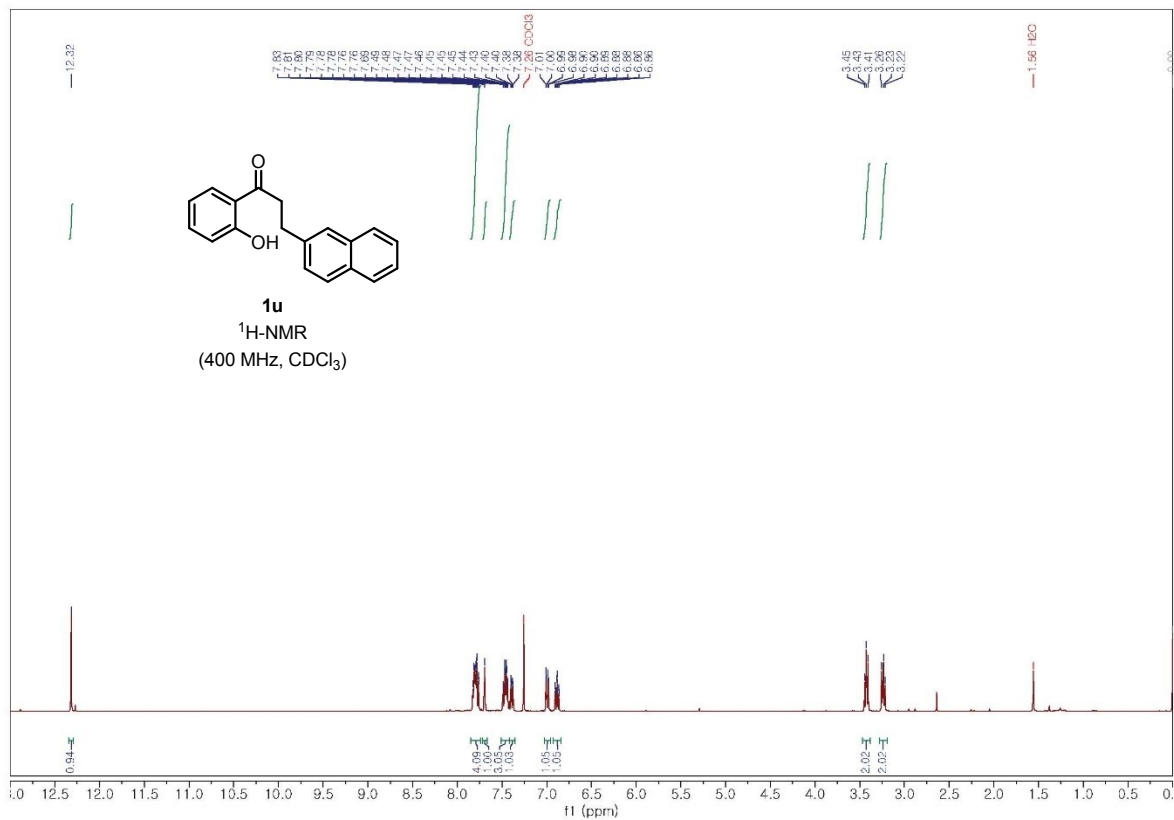
1-(2-hydroxy-4,6-dimethoxyphenyl)-3-phenylpropan-1-one **1m**



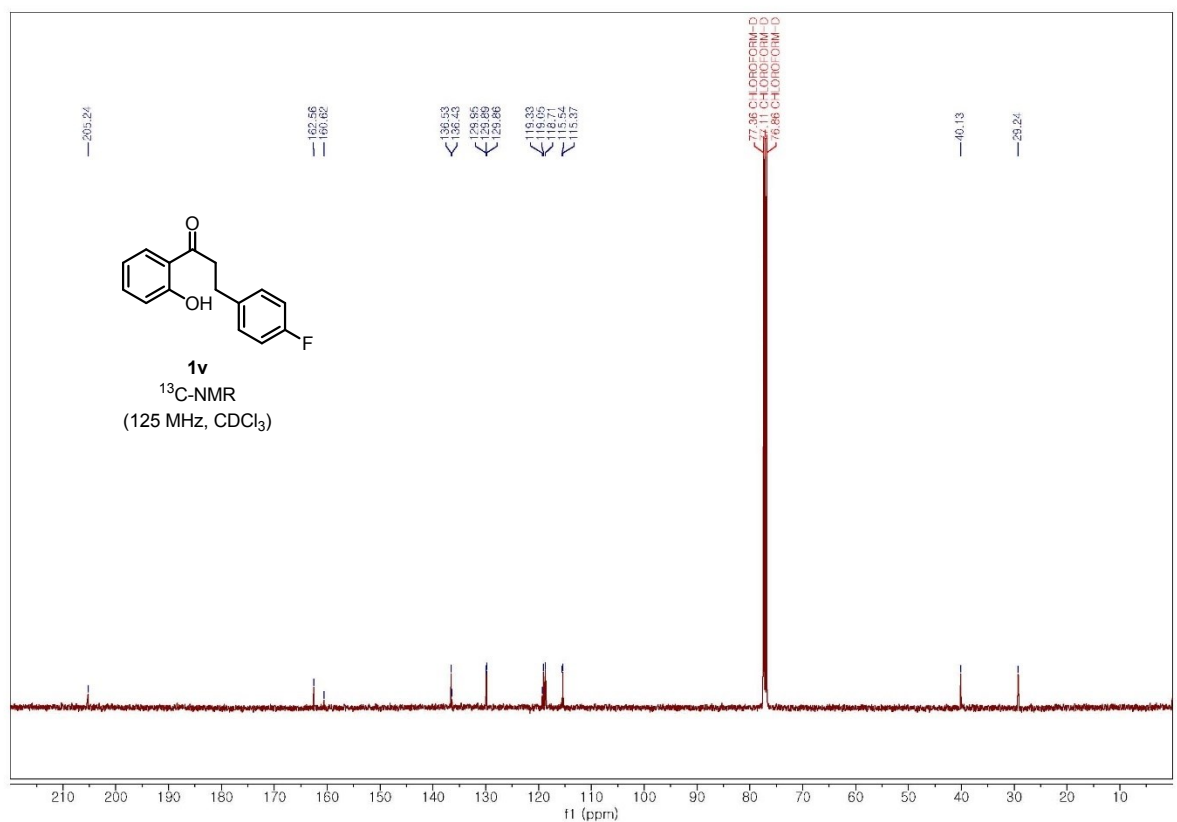
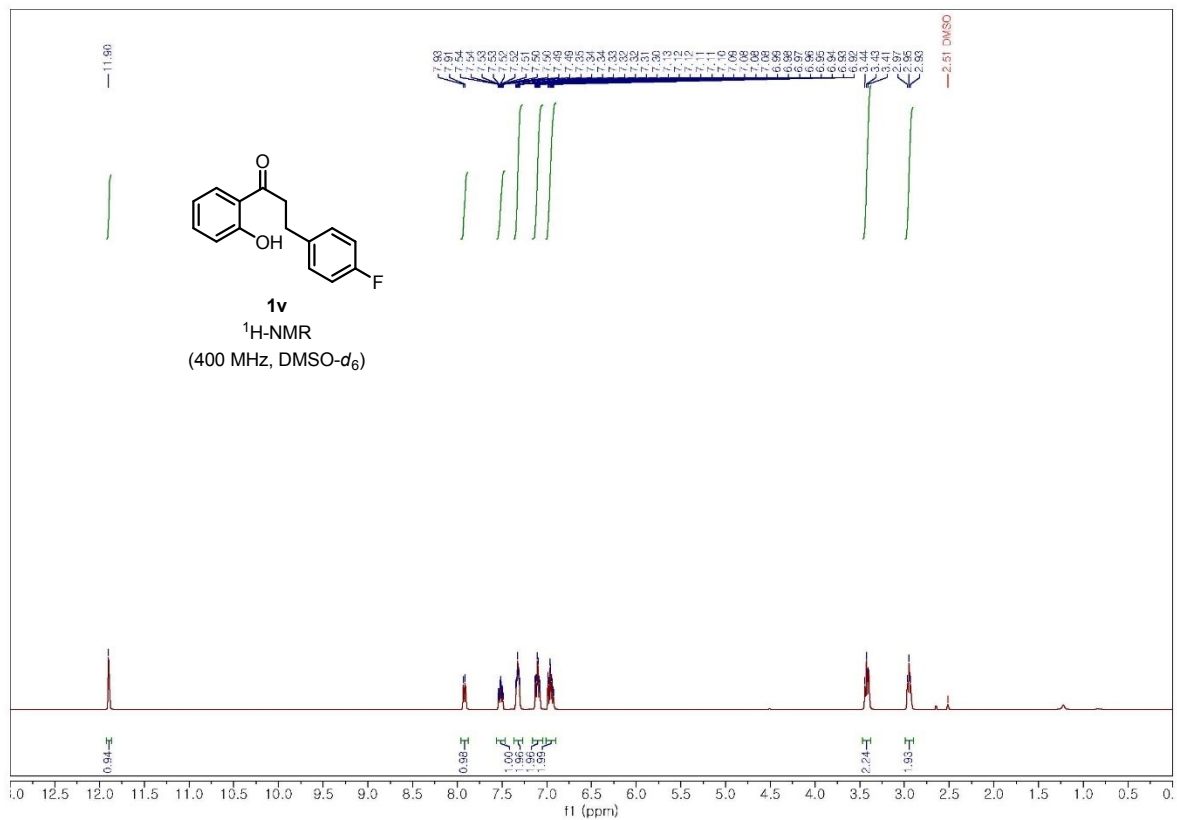
3-(3,5-dimethoxyphenyl)-1-(2-hydroxyphenyl)propan-1-one **1r**

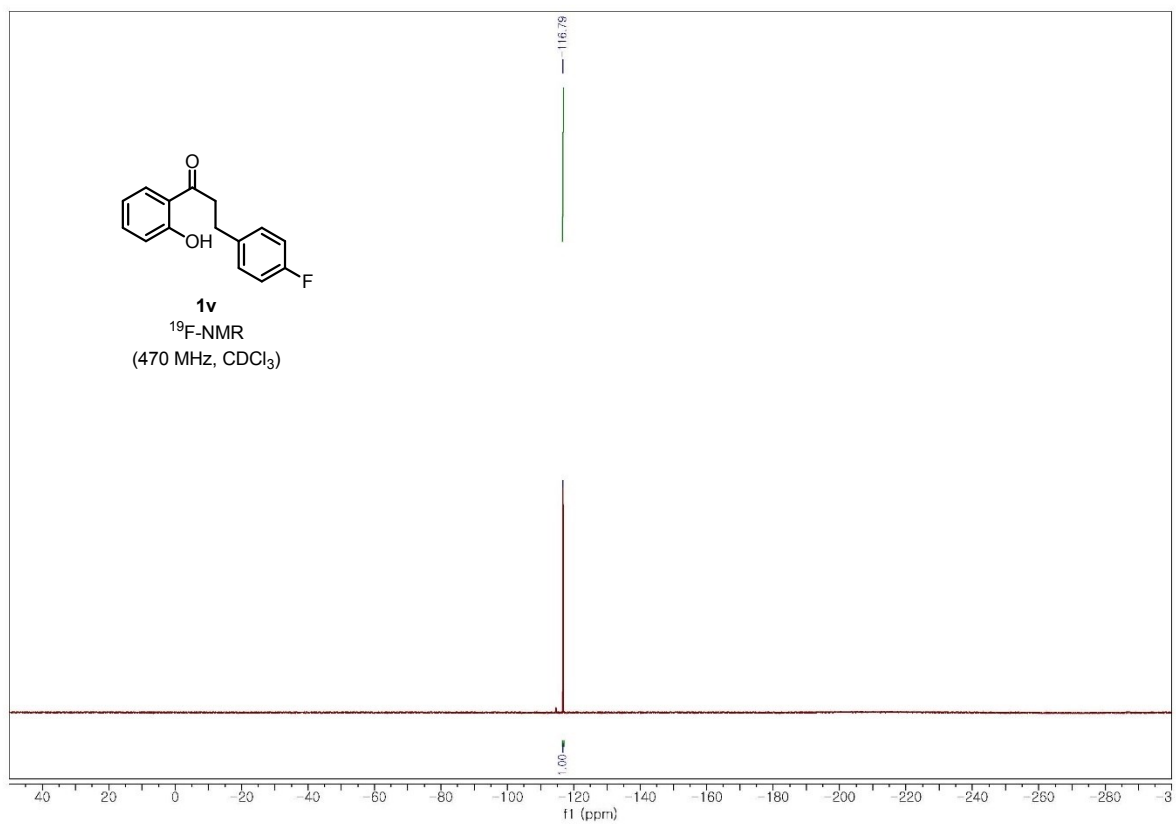


1-(2-hydroxyphenyl)-3-(naphthalen-2-yl)propan-1-one **1u**

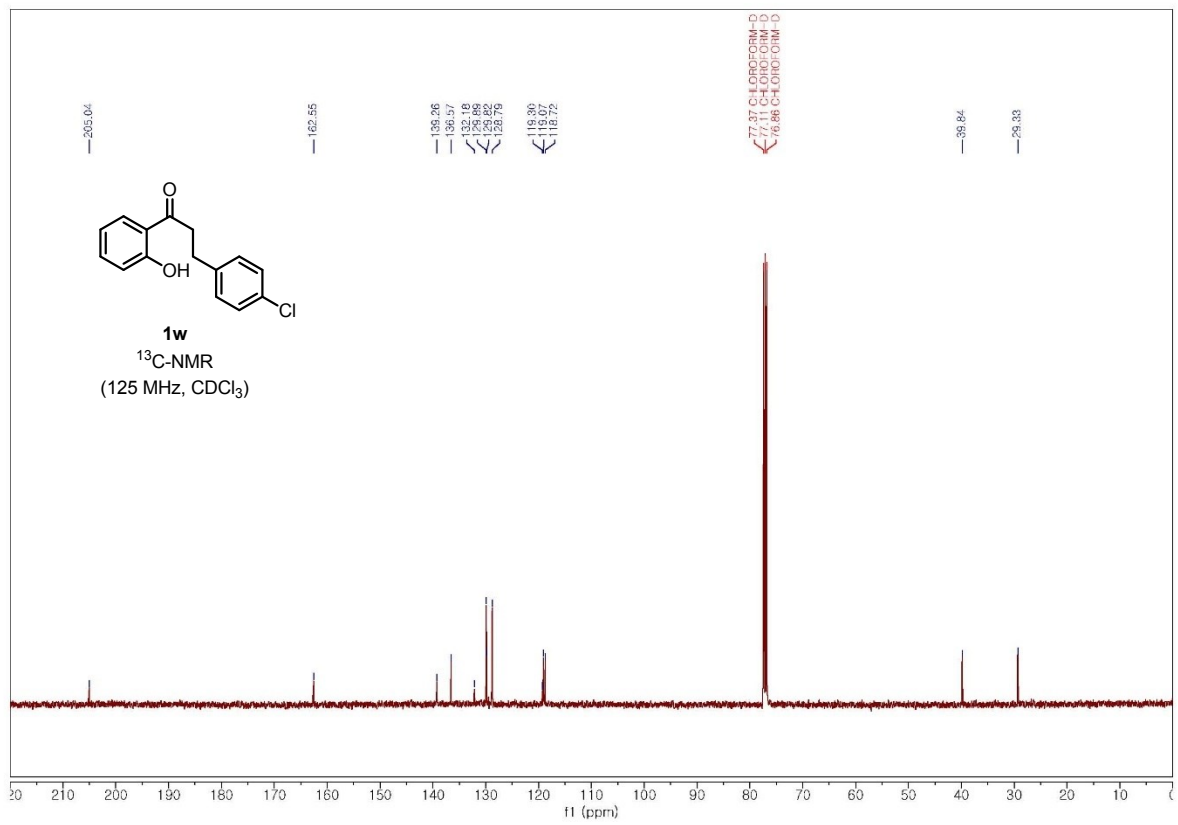
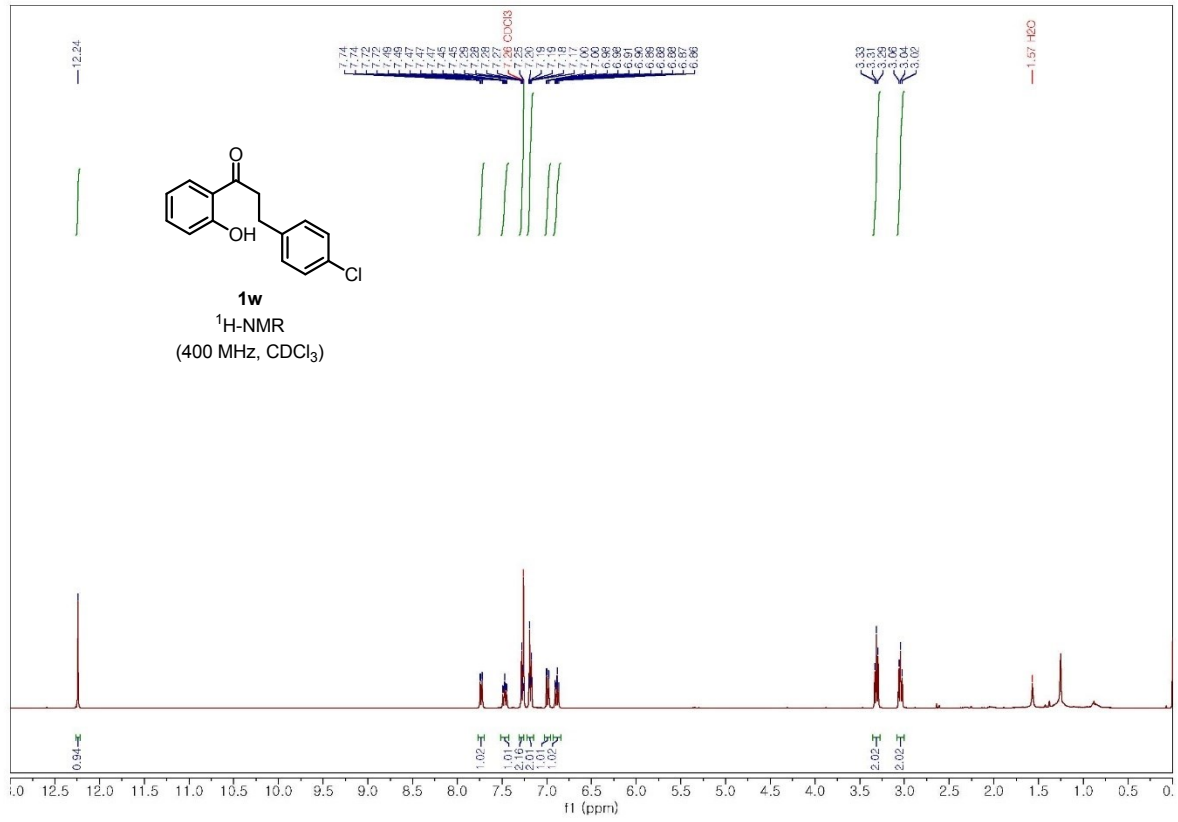


3-(4-fluorophenyl)-1-(2-hydroxyphenyl)propan-1-one **1v**

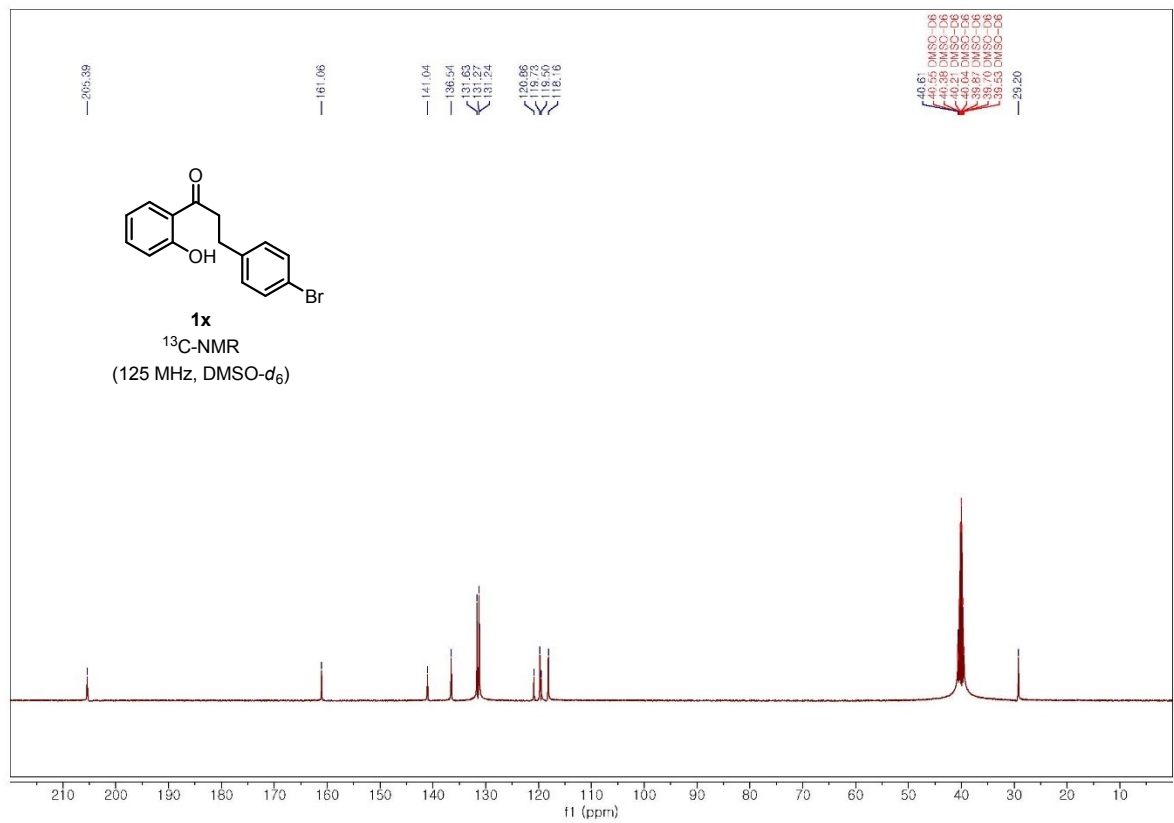
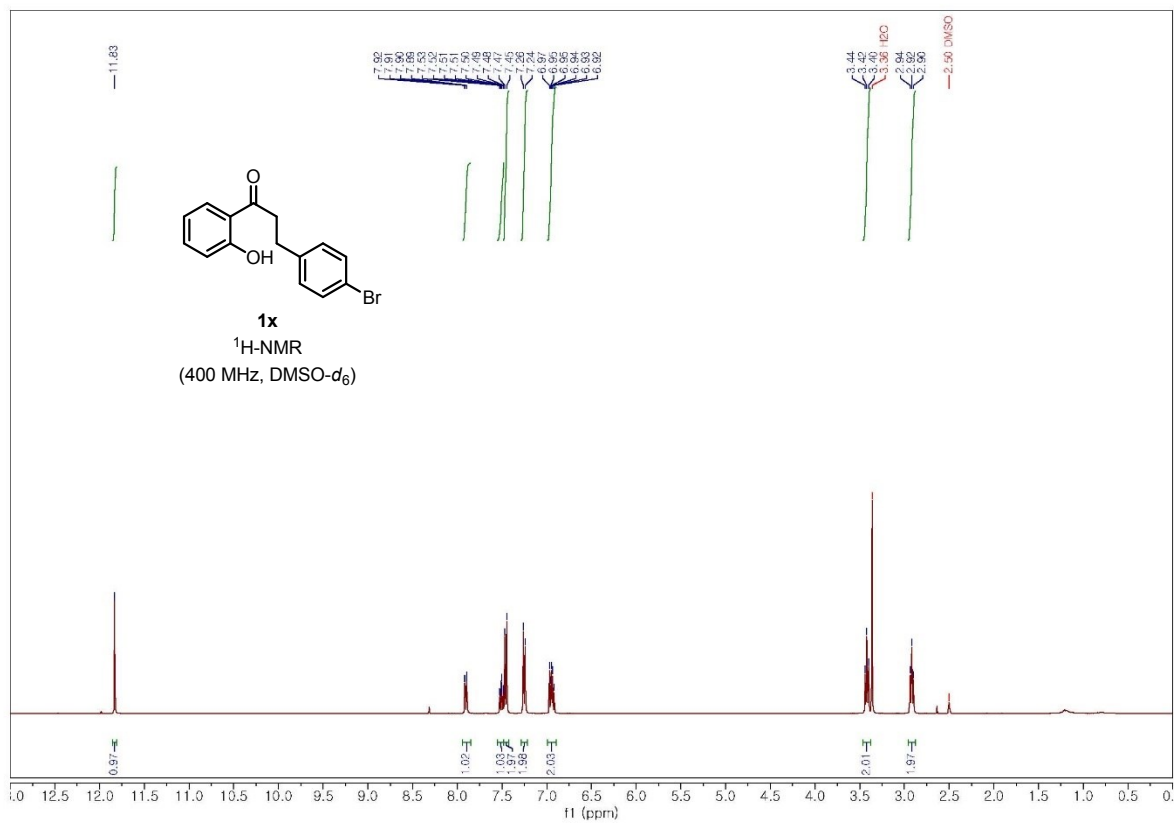




3-(4-chlorophenyl)-1-(2-hydroxyphenyl)propan-1-one **1w**



3-(4-bromophenyl)-1-(2-hydroxyphenyl)propan-1-one **1x**



1-(2-hydroxyphenyl)-3-(4-iodophenyl)propan-1-one **1y**

