SUPPORTING INFORMATION

Eco-friendly synthesis of diverse and valuable 2-pyridones by catalyst- and solvent-free thermal multicomponent domino reaction

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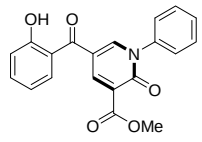
Experimental

All experiments were carried out under open air without inert gases protection. 3-formyl chromones (**1a-1i**), anilines and amines (**3a-3k**) and Ketoesters (**2a-2g)** were purchased from Sigma- Aldrich. Merck precoated silica gel plates (Art. 5554) with a fluorescent indicator were used for analytical TLC. Flash column chromatography was performed using silica gel 9385 (Merck). Melting points were determined with micro-cover glasses on a Fisher-Johns apparatus and are uncorrected. ^{1}H NMR spectra were recorded on a Varian-VNS or DPX (300 MHz) spectrometer in $CDCl_3$ or DMSO-d_6 using 7.24 or 2.5 ppm as the solvent chemical shift respectively. ^{13}C NMR spectra were recorded on a Varian-VNS or DPX (75 MHz) spectrometer in $CDCl_3$ or DMSO-d_6 using 77.0 or 39.5 ppm as the solvent chemical shift respectively. IR spectra were recorded on a JASCO FTIR 5300 spectrophotometer. High resolution mass (HRMS) were obtained with a JEOL JMS-700 spectrometer at the Korea Basic Science Institute. Optical rotation was measured using Atago Automatic Polarimeter AP-100.

General procedure for the synthesis of benzoyl substituted 2-pyridone derivatives (4-6)

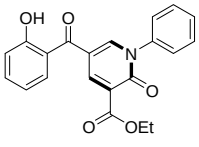
To a solution of ketoesters **2a-2g** (1.0 mmol) and anilines **3a-3k** (1.0 mmol), 1.0 mmol of 3-formyl chromone (**1a-1i**) was added. Each reaction mixture was heated at 100 °C for 8-12 hours. Then the reaction mixture was subjected for crystallization using ethanol or mixture of hexane and ethylacetate (9:1) as solvent. However, some liquid compounds **4l**, **4m**, **5d**, **5k** and **6g** were purified by column chromatography using the mixture of hexane and ethyl acetate (5:1) as eluting solvent. Characterization data for all compounds **4-6** are as follows:

Methyl 5-(2-hydroxybenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4a). The



title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 186-188 ^{o}C. Yield: 78%.^{1}H NMR (300 MHz, $CDCl_3$) δ 11.31 (1H, s), 8.58 (1H, d, J = 2.1 Hz), 8.18 (1H, d, J = 2.4 Hz), 7.57-7.45 (5H, m), 7.38 (2H, d, J = 7.8 Hz), 7.04 (1H, d, J = 7.8 Hz), 6.92 (1H, t, J = 7.8 Hz), 3.88 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.2, 164.6, 162.4, 158.3, 146.9, 144.4, 139.6, 136.5, 131.3, 129.5, 129.5, 126.3, 120.6, 119.2, 118.8, 118.5, 116.0, 52.6; IR (KBr) 3354, 3071, 1698, 1598, 1524, 1249, 787, 509 cm^{-1}; HRMS m/z (M^{+}) calcd for $C_{20}H_{15}NO_5$: 349.0950. Found: 349.0948.

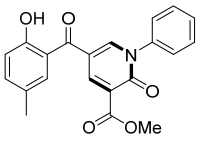
Ethyl 5-(2-hydroxybenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4b). The



title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 96-98 ^{o}C. Yield: 73%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.24 (1H, s), 8.50 (1H, d, J = 2.1 Hz), 8.12 (1H, d, J = 2.4 Hz), 7.52-7.31 (7H, m), 6.97 (1H, d, J = 7.8 Hz), 6.86 (1H, t, J = 7.5 Hz), 4.29 (2H, q, J = 6.9 Hz), 1.29 (3H, t, J = 6.9 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.3, 164.1, 162.4, 158.4, 146.7, 144.1, 139.5, 136.5, 131.3, 129.5, 129.4, 126.3, 121.0, 119.2, 118.8, 118.4, 115.9,

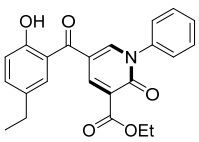
61.6, 14.1; IR (KBr) 3342, 3072, 1680, 1586, 1495, 1289, 792, 520 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{17}NO_5$: 363.1107. Found: 363.1104.

Methyl 5-(2-hydroxy-5-methylbenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate



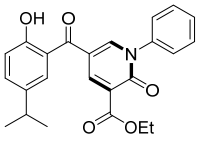
(4c). The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 167-169 ^oC. Yield: 77%.; ^1H NMR (300 MHz, $CDCl_3$) δ 11.07 (1H, s), 8.57 (1H, d, *J* = 2.1 Hz), 8.17 (1H, d, *J* = 2.4 Hz), 7.49-7.28 (7H, m), 7.93 (1H, d, *J* = 7.8 Hz), 3.87 (3H, s), 2.27 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.1, 164.6, 160.2, 158.3, 146.8, 144.5, 139.6, 137.5, 131.1, 129.5, 129.4, 128.3, 126.3, 120.4, 118.5, 118.2, 116.1, 52.5, 20.5; IR (KBr) 3342, 3052, 1686, 1570, 1514, 1268, 781, 507 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{17}NO_5$: 363.1107. Found: 363.1106.

Ethyl 5-(5-ethyl-2-hydroxybenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate



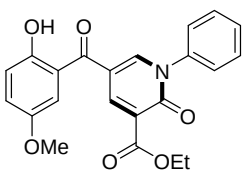
(4d). The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 157-159 ^oC. Yield: 75%.; ^1H NMR (300 MHz, $CDCl_3$) δ 11.10 (1H, s), 8.57 (1H, d, *J* = 2.1 Hz), 8.18 (1H, d, *J* = 2.4 Hz), 7.51-7.44 (3H, m), 7.38-7.32 (4H, m), 6.95 (1H, d, *J* = 7.8 Hz), 4.35 (2H, q, *J* = 7.2 Hz), 2.58 (2H, q, *J* = 7.5 Hz), 1.34 (3H, t, *J* = 7.5 Hz), 1.19 (3H, t, *J* = 7.2 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.2, 164.0, 160.5, 158.4, 146.8, 144.2, 139.7, 136.5, 134.8, 129.9, 129.5, 129.4, 126.3, 120.8, 118.6, 118.2, 116.0, 61.6, 27.8, 15.6, 14.2; IR (KBr) 3337, 3075, 1686, 1587, 1504, 1281, 787, 512 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{23}H_{21}NO_5$: 391.1420. Found: 391.1419.

Ethyl 5-(2-hydroxy-5-isopropylbenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate



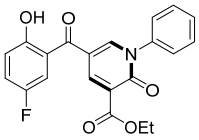
(4e). The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 118-120 ^oC. Yield: 73%.; ^1H NMR (300 MHz, $CDCl_3$) δ 11.12 (1H, s), 8.62 (1H, d, *J* = 2.1 Hz), 8.23 (1H, d, *J* = 2.4 Hz), 7.52-7.41 (7H, m), 7.03-6.96 (1H, m), 4.36 (2H, q, *J* = 6.9 Hz), 2.93-2.86 (1H, m), 1.36 (3H, t, *J* = 6.9 Hz), 1.25 (6H, d, *J* = 8.7 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.2, 163.9, 160.4, 158.4, 147.0, 144.2, 139.6, 139.4, 135.3, 129.5, 129.5, 128.5, 126.3, 120.6, 118.5, 118.1, 115.9, 61.5, 33.0, 23.9, 23.9, 14.2; IR (KBr) 3347, 3071, 1694, 1584, 1514, 1290, 787, 517cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{24}H_{23}NO_5$: 405.1576. Found: 405.1573.

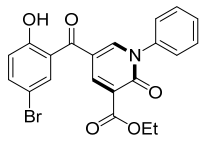
Ethyl 5-(2-hydroxy-5-methoxybenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate

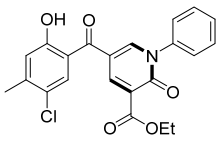


(4f). The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 137-139 ^oC. Yield: 74%.; ^1H NMR (600 MHz, $CDCl_3$) δ 10.84 (1H, s), 8.59 (1H, d, *J* = 3.0 Hz), 8.20 (1H, d, *J* = 3.0 Hz), 7.50-7.44 (3H, m), 7.38-7.36 (2H, m), 7.19 (1H, dd, *J* =

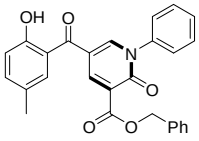
2.4, 8.4 Hz), 7.00-6.97 (2H, m), 4.35 (2H, q, *J* = 7.2 Hz), 3.73 (3H, s), 1.34 (3H, t, *J* = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 193.8, 164.1, 158.4, 156.6, 151.8, 146.8, 144.0, 139.6, 129.6, 129.5, 126.4, 124.4, 121.0, 119.8, 118.0, 115.9, 113.8, 61.6, 55.9, 14.2; IR (KBr) 3345, 2953, 1688, 1467, 1339, 1223, 1022, 781, 583 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{19}NO_6$: 393.1212. Found: 393.1209.

Ethyl 5-(5-fluoro-2-hydroxybenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4g). 
The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 135-137 ^{o}C. Yield: 73%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.92 (1H, s), 8.53 (1H, d, *J* = 2.1 Hz), 8.17 (1H, d, *J* = 2.1 Hz), 7.50-7.46 (3H, m), 7.37-7.34 (2H, m), 7.25-7.18 (2H, m), 7.01-6.97 (1H, m), 4.34 (2H, q, *J* = 6.9 Hz), 1.33 (3H, t, *J* = 6.9 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 193.3, 164.0, 158.4, 158.3, 154.7 (d, *J* = 239.1 Hz), 146.8, 143.7, 139.5, 129.6, 129.6, 126.4, 124.0 (d, *J* = 22.9 Hz), 121.3, 120.2 (d, *J* = 6.9 Hz), 118.1 (d, *J* = 5.7 Hz), 116.2 (d, *J* = 22.5 Hz), 115.5, 61.7, 14.1; ^{19}F NMR (564 MHz, $CDCl_3$) δ -124.12 – -124.16 (1F,m); IR (KBr) 3444, 3080, 1678, 1589, 1437, 1286, 950, 760, 519 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{16}FNO_5$: 381.1013. Found: 381.1015.

Ethyl 5-(5-bromo-2-hydroxybenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4h). 
The title compound was prepared according to the general procedure. The product was obtained as a brown solid, mp 154-156 ^{o}C. Yield: 75%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.10 (1H, s), 8.53 (1H, d, *J* = 2.1 Hz), 8.18 (1H, d, *J* = 2.1 Hz), 7.68 (1H, d, *J* = 2.4 Hz), 7.57-7.45 (4H, m), 7.37 (2H, d, *J* = 7.8 Hz), 6.95 (1H, d, *J* = 8.1 Hz), 4.35 (2H, q, *J* = 6.9 Hz), 1.35 (3H, t, *J* = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.1, 163.8, 161.1, 158.2, 147.0, 143.6, 139.5, 138.9, 133.4, 129.6, 129.5, 126.3, 121.3, 120.8, 120.0, 115.3, 110.7, 61.7, 14.1; IR (KBr) 3350, 3097, 1687, 1582, 1514, 1290, 787, 513 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{16}BrNO_5$: 441.0212. Found: 441.0209.

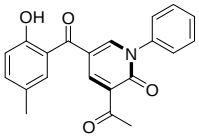
Ethyl 5-(5-chloro-2-hydroxy-4-methylbenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4i). 
The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 185-187 ^{o}C. Yield: 71%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.13 (1H, s), 8.51 (1H, d, *J* = 2.1 Hz), 8.15 (1H, d, *J* = 2.1 Hz), 7.51-7.43 (4H, m), 7.37-7.34 (2H, m), 6.89 (1H, s), 4.33 (2H, q, *J* = 6.9 Hz), 2.33 (3H, s), 1.33 (3H, t, *J* = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.8, 163.8, 160.6, 158.3, 146.7, 145.7, 143.7, 139.5, 130.7, 129.5, 129.4, 126.3, 124.4, 121.0, 120.7, 117.5, 115.5, 61.6, 20.7, 14.1; IR (KBr) 3340, 3091, 1675, 1584, 1517, 1280, 771, 514 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{18}ClNO_5$: 411.0874. Found: 411.0872.

Benzyl 5-(2-hydroxy-5-methylbenzoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4j). The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 134-136 ^{o}C. Yield: 76%; ^{1}H NMR (300 MHz,



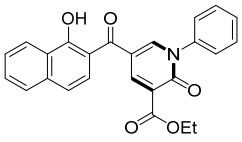
$CDCl_3$) δ 11.11 (1H, s), 8.58 (1H, d, J = 2.1 Hz), 8.18 (1H, d, J = 2.4 Hz), 7.50-7.30 (12H, m), 6.95 (1H, d, J = 8.1 Hz), 5.34 (2H, s), 2.25 (3H, s); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.2, 163.8, 160.4, 158.3, 146.9, 144.4, 139.6, 137.6, 135.6, 131.1, 129.6, 129.5, 128.5, 128.3, 128.1, 128.1, 126.3, 120.4, 118.6, 118.2, 116.0, 67.1, 20.5; IR (KBr) 3320, 3084, 1680, 1583, 1517, 1271, 760, 508 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{27}H_{21}NO_5$: 439.1420. Found: 439.1417.

3-acetyl-5-(2-hydroxy-5-methylbenzoyl)-1-phenylpyridin-2(1H)-one (4k).



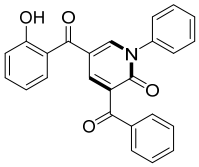
The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 115-117 ^{o}C. Yield: 78%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.13 (1H, s), 8.53 (1H, d, J = 2.1 Hz), 8.21 (1H, d, J = 2.4 Hz), 7.53-7. 47 (3H, m), 7.38 (2H, d, J = 7.8 Hz), 7.29 (2H, d, J = 7.8 Hz), 6.92 (1H, d, J = 8.1 Hz), 2.67 (3H, s), 2.26 (3H, s);^{13}C NMR (75 MHz, $CDCl_3$) δ 196.4, 194.5, 160.4, 160.4, 146.9, 143.2, 139.5, 137.6, 131.2, 129.6, 129.6, 128.4, 126.8, 126.3, 118.5, 118.1, 116.8, 30.9, 20.5; IR (KBr) 3330, 3081, 1685, 1580, 1508, 1270, 781, 516 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{17}NO_4$: 347.1158. Found: 347.1158.

Ethyl 5-(1-hydroxy-2-naphthoyl)-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxylate (4l).



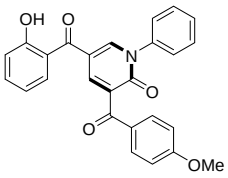
The title compound was prepared according to the general procedure. The product was obtained as a yellow liquid. Yield: 74%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 13.35 (1H, s), 8.61 (1H, d, J = 3.0 Hz), 8.45 (1H, d, J = 8.4 Hz), 8.20 (1H, d, J = 3.0 Hz), 7.74 (1H, d, J = 8.4 Hz), 7.63 (1H, t, J = 7.4 Hz), 7.54-7.48 (4H, m), 7.45 (1H, t, J = 7.2 Hz), 7.40 (2H, d, J = 7.2 Hz), 7.28 (1H, d, J = 9.0 Hz), 4.37 (2H, q, J = 7.2 Hz), 1.35 (3H, t, J = 7.2 Hz);^{13}C NMR (150 MHz, $CDCl_3$) δ 194.1, 164.2, 163.5, 158.4, 146.5, 144.2, 139.7, 137.2, 130.6, 129.5 (*3C), 129.4, 127.5, 126.4 (*2C), 126.3, 125.2, 124.4, 121.0, 118.6, 116.2, 111.7, 61.6, 14.2; IR (neat) 3365, 3060, 2980, 1685, 1589, 1534, 1233, 1143, 711, 581 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{25}H_{19}NO_5$: 413.1263. Found: 413.1261.

3-Benzoyl-5-(2-hydroxybenzoyl)-1-phenylpyridin-2(1*H*)-one (4m).



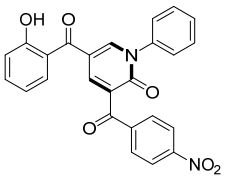
The title compound was prepared according to the general procedure. The product was obtained as a yellow liquid. Yield: 68%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.35 (1H, s), 8.19 (1H, d, J = 3.0 Hz), 8.14 (1H, d, J = 3.0 Hz), 7.87 (2H, d, J = 7.2 Hz), 7.62 (1H, dd, J = 1.8, 7.8 Hz), 7.55 (1H, t, J = 7.8 Hz), 7.51-7.48 (3H, m), 7.46-7.7.41 (5H, m), 7.05 (1H, d, J = 8.4 Hz), 6.92 (1H, td, J = 8.4, 1.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.5, 193.0, 162.5, 159.3, 145.7, 141.2, 139.5, 136.6, 136.6, 133.5, 131.4, 130.3, 129.6, 129.5, 129.5, 128.5, 126.3, 119.2, 118.9, 118.5, 116.8; IR (neat) 3312, 3059, 1930, 1661, 1593, 1534, 1534, 1233, 1157, 750, 696 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{25}H_{17}NO_4$: 395.1158. Found: 395.1159.

5-(2-Hydroxybenzoyl)-3-(4-methoxybenzoyl)-1-phenylpyridin-2(1*H*)-one (4n).



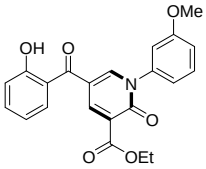
The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 170-172 ^{o}C. Yield: 65%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.34 (1H, s), 8.15 (1H, d, J = 3.0 Hz), 8.07 (1H, d, J = 3.0 Hz), 7.87-7.85 (2H, m), 7.61 (1H, dd, J = 1.2, 7.8 Hz), 7.49-7.47 (3H, m), 7.45-7.41 (3H, m), 7.03 (1H, d, J = 7.8 Hz), 6.92-6.89 (3H, m), 3.82 (3H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.6, 191.4, 164.0, 162.5, 159.3, 145.3, 140.5, 139.5, 136.5, 132.1, 131.4, 130.9, 129.5, 129.4, 129.3, 126.3, 119.2, 118.8, 118.6, 116.7, 113.8, 55.5; IR (KBr) 3365, 3054, 1644, 1496, 1237, 1020, 757, 615 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{26}H_{19}NO_5$: 425.1263. Found: 425.1262.

5-(2-Hydroxybenzoyl)-3-(4-nitrobenzoyl)-1-phenylpyridin-2(1*H*)-one (4o).



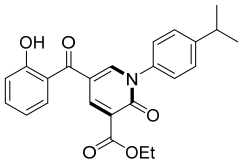
The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 201-203 ^{o}C. Yield: 64%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.31 (1H, s), 8.36 (1H, d, J = 2.4 Hz), 8.27-8.24 (3H, m), 7.93 (2H, d, J = 8.4 Hz), 7.61 (1H, d, J = 7.8 Hz), 7.53-7.45 (4H, m), 7.39 (2H, d, J = 7.8 Hz), 7.07 (1H, d, J = 8.4 Hz), 6.94 (1H, t, J = 7.8 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.2, 191.8, 162.7, 159.4, 150.0, 146.9, 143.3, 142.1, 139.1, 136.8, 131.3, 129.8 (*2C), 129.7 (*3C), 128.3, 126.2 (*2C), 123.6 (*2C), 119.3, 119.0, 118.4, 117.2; IR (KBr) 3366, 3055, 1651, 1584, 1416, 1331, 1237, 702 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{25}H_{16}N_2O_6$: 440.1008. Found: 440.1008.

Ethyl 5-(2-hydroxybenzoyl)-1-(3-methoxyphenyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5a). The title compound was prepared according to the general procedure. The product was



obtained as a white solid, mp 138-140 ^{o}C. Yield: 72%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.31 (1H, s), 8.55 (1H, d, J = 2.1 Hz), 8.16 (1H, d, J = 2.4 Hz), 7.57-7.46 (2H, m), 7.38 (1H, d, J = 8.4 Hz), 7.05-6.89 (5H, m), 4.35 (2H, q, J = 6.9 Hz), 3.80 (3H, s), 1.34 (3H, t, J = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.3, 164.1, 162.5, 160.3, 158.3, 146.7, 144.0, 140.7, 136.5, 131.3, 130.3, 121.2, 119.2, 118.8, 118.5, 118.4, 115.9, 115.5, 112.3, 61.7, 55.6, 14.2; IR (KBr) 3350, 3071, 1687, 1581, 1518, 1290, 787, 506 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{19}NO_6$: 393.1212. Found: 393.1208.

Ethyl 5-(2-hydroxybenzoyl)-1-(4-isopropylphenyl)-2-oxo-1,2-dihydropyridine-3-Carboxylate (5b). The title compound was prepared according to the general procedure. The



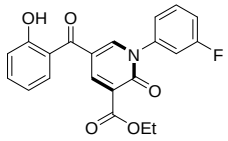
product was obtained as yellow solid, mp 68-70 ^{o}C. Yield: 76%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.27 (1H, s), 8.51 (1H, d, J = 2.1 Hz), 8.12 (1H, d, J = 2.4 Hz), 7.51 (1H, d, J = 8.1 Hz), 7.43 (1H, t, J = 8.1 Hz), 7.29-7.21 (4H, m), 6.98 (1H, d, J = 8.1 Hz), 6.86 (1H, t, J = 8.1Hz), 4.29 (2H, q, J = 6.9 Hz), 2.93-2.84 (1H, m), 1.29 (3H, t, J = 6.9 Hz), 1.16 (6H, d, J = 8.7 Hz);

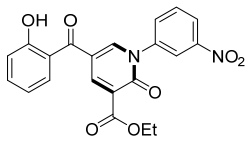
^{13}C NMR (150 MHz, $CDCl_3$) δ 194.3, 164.2, 162.4, 158.5, 150.4, 146.9, 144.1, 137.2, 136.5, 131.4, 127.6, 126.1, 121.0, 119.2, 118.8, 118.5, 115.8, 61.7, 33.8, 23.9, 23.8, 14.2; IR (KBr) 3340, 3078, 1682, 1540, 1521, 1267, 788, 509 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{24}H_{23}NO_5$: 405.1576. Found: 405.1574.

Ethyl 1-(4-aminophenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5c). The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 153-155 ^{o}C. Yield: 72%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.35 (1H, s), 8.52 (1H, d, J = 3.0 Hz), 8.14 (1H, d, J = 3.0 Hz), 7.54 (1H, d, J = 8.4 Hz), 7.47 (1H, t, J = 8.4 Hz), 7.07 (2H, d, J = 8.4 Hz), 7.02 (1H, d, J = 8.4 Hz), 6.90 (1H, t, J = 7.8 Hz), 6.65 (2H, d, J = 8.4 Hz), 4.33 (2H, q, J = 7.2 Hz), 3.94 (2H, brs), 1.33 (3H, t, J = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.2, 164.1, 162.1, 158.8, 147.7, 147.4, 143.7, 136.2, 131.3, 129.8, 127.0, 120.5, 119.1, 118.6, 118.6, 115.5, 114.9, 61.4, 14.1; IR (KBr) 3356, 3063, 2979, 1730, 1610, 1274, 1155, 833, 756, 519 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{18}N_2O_5$: 378.1216. Found: 378.1215.

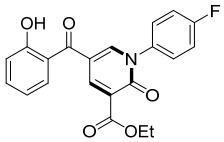
Ethyl 5-(2-hydroxybenzoyl)-1-(2-(hydroxymethyl)phenyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5d). The title compound was prepared according to the general procedure. The product was obtained as a yellow liquid. Yield: 68%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.28 (1H, s), 8.61 (1H, d, J = 1.2 Hz), 8.08 (1H, d, J = 2.4 Hz), 7.58 (1H, d, J = 8.4 Hz), 8.08 (1H, d, J = 7.2 Hz), 7.47-7.44 (2H, m), 7.40 (1H, t, J = 7.8 Hz), 7.15 (1H, d, J = 7.8 Hz), 7.01 (1H, d, J = 8.4 Hz), 6.87 (1H, t, J = 7.8 Hz), 4.43 (2H, d, J = 2.4 Hz), 4.32 (2H, q, J = 7.2 Hz), 3.09 (1H, brs), 1.33 (3H, t, J = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.2, 163.8, 162.3, 159.1, 147.4, 144.6, 138.3, 137.3, 136.5, 131.5, 130.4, 130.3, 129.3, 127.1, 120.8, 119.2, 118.7, 118.6, 116.0, 61.7, 61.4, 14.1; IR (neat) 3429, 3066, 2980, 1722, 1600, 1532, 1229, 1022, 750 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{19}NO_6$: 393.1212. Found: 393.1212.

Ethyl 1-(3-bromophenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5e). The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 148-150 ^{o}C. Yield: 74%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.22 (1H, s), 8.51 (1H, d, J = 2.1 Hz), 8.11 (1H, d, J = 2.4 Hz), 7.54-7.43 (4H, m), 7.36-7.28 (2H, m), 6.99 (1H, d, J = 8.4 Hz), 6.89 (1H, t, J = 8.1 Hz), 4.31 (2H, q, J = 6.9 Hz), 1.31 (3H, t, J = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 194.0, 163.7, 162.2, 158.0, 146.2, 144.1, 140.4, 136.4, 132.5, 131.2, 130.6, 129.6, 125.1, 122.6, 121.0, 119.1, 118.7, 118.4, 116.1, 61.6, 14.0; IR (KBr) 3345, 3045, 1665, 1590, 1521, 1280, 771, 509 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{16}BrNO_5$: 441.0212. Found: 441.0210.

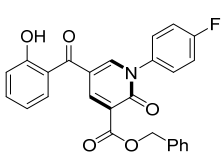
Ethyl 1-(3-fluorophenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5f).  The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 143-145 ^{o}C. Yield: 75%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.24 (1H, s), 8.52 (1H, d, J = 2.1 Hz), 8.13 (1H, d, J = 2.4 Hz), 7.55-7.40 (3H, m), 7.15 (3H, d, J = 7.8 Hz), 7.01 (1H, d, J = 8.4 Hz), 6.90 (1H, t, J = 7.5 Hz), 4.31 (2H, q, J = 6.9 Hz), 1.32 (3H, t, J = 6.9 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.1, 163.9, 162.5 (d, J = 248.4 Hz), 162.5, 158.1, 146.2, 144.1, 140.6 (d, J = 10.3 Hz), 136.6, 131.3, 130.9 (d, J = 9.1 Hz), 122.2 (d, J = 3.4 Hz), 121.3, 119.2, 118.8, 118.4, 116.7 (d, J = 20.7 Hz), 116.2, 114.5 (d, J = 24.1 Hz), 61.7, 14.1; ^{19}F NMR (564 MHz, $CDCl_3$) δ -111.25 – -111.30 (1F, m); IR (KBr) 3360, 3089, 1685, 1596, 1527, 1290, 761, 512 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{16}FNO_5$: 381.1013. Found: 381.1011.

Ethyl 5-(2-hydroxybenzoyl)-1-(3-nitrophenyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5g).  The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 179-181 ^{o}C. Yield: 52%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.22 (1H, s), 8.55 (1H, d, J = 3.0 Hz), 8.29-8.28 (2H, m), 8.17 (1H, d, J = 3.0 Hz), 7.78-7.76 (1H, m), 7.69 (1H, t, J = 9.0 Hz), 7.55 (1H, dd, J = 1.2, 7.8 Hz), 7.50-7.47 (1H, m), 7.02 (1H, d, J = 8.4 Hz), 6.91 (1H, t, J = 7.2 Hz), 4.32 (2H, q, J = 7.2 Hz), 1.33 (3H, t, J = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.0, 163.5, 162.4, 158.0, 148.5, 145.7, 144.4, 140.2, 136.7, 132.8, 131.3, 130.5, 124.3, 122.1, 121.3, 119.3, 118.8, 118.3, 116.7, 61.8, 14.1; IR (KBr) 3430, 3065, 2970, 1720, 1602, 1522, 1225, 1020, 755 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{16}N_2O_7$: 408.0958. Found: 409.0958.

Ethyl 1-(4-fluorophenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5h). The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 170-172 ^{o}C. Yield: 77%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.28 (1H, s), 8.54 (1H, d, J = 2.1 Hz), 8.13 (1H, d, J = 2.4 Hz), 7.56-7.46 (2H, m), 7.39-7.34 (2H, m), 7.16 (2H, t, J = 7.8 Hz), 7.03 (1H, d, J = 7.8 Hz) , 6.91 (1H, t, J = 7.8 Hz), 4.34 (2H, q, J = 6.9 Hz), 1.33 (3H, t, J = 6.9 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.3, 164.0, 162.7 (d, J = 248.2 Hz), 162.6, 158.4, 146.5, 144.2, 136.6, 135.5 (d, J = 3.4 Hz), 131.3, 128.4 (d, J = 7.9 Hz), 121.2, 119.2, 118.9, 118.4, 116.6 (d, J = 22.9 Hz), 116.1, 61.8, 14.2; ^{19}F NMR (564 MHz, $CDCl_3$) δ -111.96 – -112.01 (1F, m); IR (KBr) 3360, 3083, 1687, 1584, 1528, 1275, 786, 517 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{16}FNO_5$: 381.1013. Found: 344.1013.

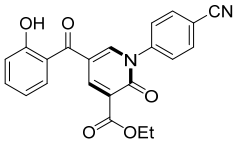


Benzyl 1-(4-fluorophenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5i). The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 148-150 ^{o}C. Yield: 73%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.29 (1H, s), 8.58 (1H, d, J = 2.1 Hz), 8.15 (1H, d, J = 2.4 Hz), 7.55-7.48 (2H, m), 7.43-7.28 (7H, m), 7.18 (2H, t, J = 7.8 Hz), 7.05 (1H, d, J

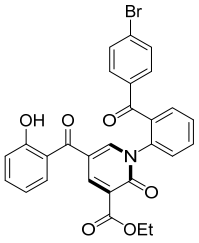


= 7.8 Hz), 6.91 (1H, t, *J* = 7.8 Hz), 5.34 (2H, s); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.1, 163.8, 162.7 (d, *J* = 248.2 Hz), 162.5, 158.3, 146.8, 144.6, 136.6, 135.4 (d, *J* = 4.6 Hz), 131.3, 128.5, 128.4, 128.4, 128.2, 128.1, 120.6, 119.2, 118.9, 118.4, 116.6 (d, *J* = 22.9 Hz), 116.0, 67.2; ^{19}F NMR (564 MHz, $CDCl_3$) δ -111.89 – -111.93 (1F, m); IR (KBr) 3350, 3071, 1695, 1590, 1507, 1268, 788, 507 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{26}H_{18}FNO_5$: 443.1169. Found: 443.1167.

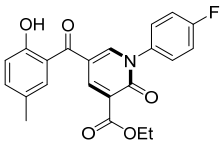
Ethyl 1-(4-cyanophenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5j). The title compound was prepared according to the general procedure. The product was obtained as a yellow solid, mp 188-190 ^{o}C. Yield: 41%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.25 (1H, s), 8.55 (1H, d, *J* = 3.0 Hz), 8.11 (1H, d, *J* = 3.0 Hz), 7.79 (2H, d, *J* = 7.8 Hz), 7.56-7.49 (4H, m), 7.04 (1H, d, *J* = 8.4 Hz), 6.92 (1H, t, *J* = 7.2 Hz), 4.34 (2H, q, *J* = 7.2 Hz), 1.33 (3H, t, *J* = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.0, 163.6, 162.5, 157.9, 145.5, 144.3, 143.0, 136.8, 133.4, 133.1, 131.2, 127.6, 119.3, 119.0, 118.3, 117.4, 116.7, 113.6, 61.8, 14.1; IR (KBr) 3363, 3078, 1734, 1657, 1599, 1269, 1144, 556, 647 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{16}N_2O_5$: 388.1059. Found: 388.1059.



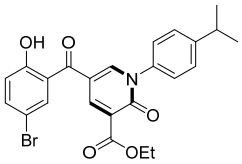
Ethyl 1-(2-(4-bromobenzoyl)phenyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5k). The title compound was prepared according to the general procedure. The product was obtained as a yellow liquid. Yield: 53%; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.36 (1H, s), 8.53 (1H, d, *J* = 2.4 Hz), 8.16 (1H, d, *J* = 3.0 Hz), 7.75 (1H, dd, *J* = 1.8, 8.4 Hz), 7.68-7.65 (3H, m), 7.57-7.54 (3H, m), 7.52-7.47 (2H, m), 7.38 (1H, d, *J* = 8.4 Hz), 7.04 (1H, d, *J* = 7.8 Hz) , 6.92 (1H, t, *J* = 7.2 Hz), 4.27 (2H, q, *J* = 7.2 Hz), 1.28 (3H, t, *J* = 7.2 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.4, 193.9, 163.6, 162.5, 158.3, 147.5, 144.3, 138.2, 136.5, 136.3, 135.0, 132.4, 131.9 (*2C), 131.8 (*3C), 130.0, 129.3, 128.9, 128.3, 121.1, 119.3, 118.7, 118.6, 115.3, 61.5, 14.1; IR (KBr) 3369, 3070, 1730, 1666, 1595, 1269, 1148, 571, 640cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{28}H_{20}BrNO_6$: 545.0474. Found: 545.0475.



Ethyl 1-(4-fluorophenyl)-5-(2-hydroxy-5-methylbenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5l). The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 174-176 ^{o}C. Yield: 78%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.10 (1H, s), 8.55 (1H, d, *J* = 2.1 Hz), 8.14 (1H, d, *J* = 2.4 Hz), 7.39-7.31 (4H, m), 7.18 (1H, t, *J* = 8.1 Hz), 6.95 (1H, d, *J* , 8.1 Hz), 4.35 (2H, q, *J* = 6.9 Hz), 2.28 (3H, s), 1.35 (3H, t, *J* = 6.9 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 194.2, 164.0, 162.7 (d, *J* = 248.2 Hz), 160.5, 158.4, 146.5, 144.3, 137.7, 135.5 (d, *J* = 3.4 Hz), 131.1, 128.4 (d, *J* = 3.4 Hz), 128.3, 121.0, 118.7, 118.1, 116.6 (d, *J* = 22.9 Hz), 116.3, 61.7, 20.6, 14.2; ^{19}F NMR (564 MHz, $CDCl_3$) δ -112.00 – -112.04 (1F, m); IR (KBr) 3434, 3054, 1663, 1436, 1276, 970, 760, 516 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{18}FNO_5$: 395.1169. Found: 395.1170.

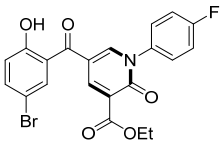


Ethyl 5-(5-bromo-2-hydroxybenzoyl)-1-(4-isopropylphenyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5m). The title compound was prepared according to the general procedure. The



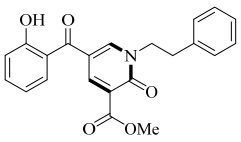
product was obtained as a yellow solid, mp 80-82 °C. Yield: 69%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.18 (1H, s), 8.54 (1H, d, J = 2.1 Hz), 8.17 (1H, d, J = 2.4 Hz), 7.68 (1H, d, J = 2.1 Hz), 7.57 (1H, dd, J = 2.1, 8.1 Hz), 7.37-7.28 (4H, m), 6.97 (1H, d, J = 8.1 Hz), 4.37 (2H, q, J = 6.9 Hz), 3.00-2.89 (1H, m), 1.36 (3H, t, J = 6.9 Hz), 1.26 (6H, d, J = 8.7 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 193.3, 164.0, 161.3, 158.4, 150.6, 147.1, 143.7, 139.0, 137.1, 133.4, 127.7, 126.1, 121.3, 120.8, 119.9, 115.3, 110.7, 61.7, 33.9, 23.8, 14.2; IR (KBr) 3310, 3051, 1690, 1584, 1530, 1268, 793, 509 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{24}H_{22}BrNO_5$: 483.0681. Found: 483.0681.

Ethyl 5-(5-bromo-2-hydroxybenzoyl)-1-(4-fluorophenyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (5n). The title compound was prepared according to the general



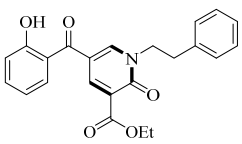
procedure. The product was obtained as a white solid, mp 195-197 °C. Yield: 75%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.19 (1H, s), 8.58 (1H, d, J = 2.1 Hz), 8.19 (1H, d, J = 2.4 Hz), 7.18 (1H, d, J = 2.1 Hz), 7.62 (1H, dd, J = 2.1, 8.7 Hz), 7.44-7.7.40 (2H, m), 7.28-7.21 (2H, m), 7.02 (1H, d, J = 7.8 Hz), 4.41 (2H, q, J = 6.9 Hz), 1.40 (3H, t, J = 6.9 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 193.2, 163.8, 162.8 (d, J = 249.6 Hz), 161.3, 158.3, 146.8, 143.8, 139.2, 135.4 (d, J = 3.4 Hz), 133.4, 128.4 (d, J = 9.3 Hz), 121.4, 120.9, 119.8, 116.7 (d, J = 22.9 Hz), 115.5, 110.8, 61.8, 14.2; ^{19}F NMR (564 MHz, $CDCl_3$) δ -111.75 – -111.79 (1F, m); IR (KBr) 3350, 3054, 1663, 1560, 1276, 760, 516 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{21}H_{15}BrFNO_5$: 459.0118. Found: 459.0115.

Methyl 5-(2-hydroxybenzoyl)-2-oxo-1-phenethyl-1,2-dihydropyridine-3-carboxylate (6a).



The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 148-150 °C. Yield: 78%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.21 (1H, s), 8.49 (1H, s), 7.56 (1H, s), 7.39 (1H, t, J = 7.5 Hz), 7.28-7.26 (3H, m), 7.10-7.08 (2H, m), 6.93 (1H, d, J = 8.4 Hz), 6.76-6.67 (2H, m), 4.19 (2H, t, J = 6.3 Hz), 3.86 (3H, s), 3.10 (2H, t, J = 6.3 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.8, 164.5, 162.1, 158.4, 147.1, 144.3, 137.0, 136.0, 130.9, 129.0, 128.9, 127.0, 119.7, 119.0, 118.5, 118.2, 114.9, 53.9, 52.4, 34.1; IR (KBr) 3342, 3074, 1687, 1592, 1509, 1281, 791, 508 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{22}H_{19}NO_5$: 377.1263. Found: 377.1263.

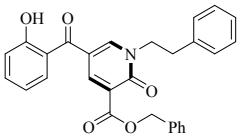
Ethyl 5-(2-hydroxybenzoyl)-2-oxo-1-phenethyl-1,2-dihydropyridine-3-carboxylate (6b). The



title compound was prepared according to the general procedure. The product was obtained as a light yellow solid, mp 175-177 °C. Yield: 76%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.24 (1H, s), 8.49 (1H, s), 7.45 (1H, s), 7.45-7.39 (1H, m), 7.30-7.23 (3H, m), 7.11-7.10 (2H, m), 6.97 (1H, d, J =

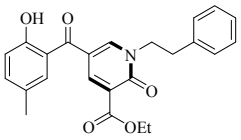
8.4 Hz), 6.77-6.69 (2H, m), 4.36 (2H, q, J = 6.9 Hz), 4.21 (2H, t, J = 6.3 Hz), 3.13 (2H, t, J = 6.3 Hz), 1.36 (3H, t, J = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.9, 164.0, 162.2, 158.5, 147.0, 143.9, 137.1, 136.0, 131.0, 129.1, 129.0, 127.1, 120.3, 119.1, 118.6, 118.3, 114.9, 61.5, 54.0, 34.2, 14.2; IR (KBr) 3348, 3071, 1693, 1587, 1513, 1274, 778, 512 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{23}H_{21}NO_5$: 391.1420. Found: 391.1418.

Benzyl 5-(2-hydroxybenzoyl)-2-oxo-1-phenethyl-1,2-dihydropyridine-3-carboxylate (6c).



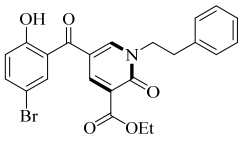
The title compound was prepared according to the general procedure. The product was obtained as a white solid, mp 65-67 ^{o}C. Yield: 75%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.23 (1H, s), 8.50 (1H, d, J = 2.4 Hz), 7.57 (1H, d, J = 2.4 Hz), 7.45-7.26 (9H, m), 7.12-7.10 (2H, m), 6.96 (1H, d, J = 8.1 Hz), 6.79-6.69 (2H, m), 5.33 (2H, s), 4.21 (2H, t, J = 6.3 Hz), 3.12 (2H, t, J = 6.3 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 193.9, 163.8, 162.3, 158.5, 147.1, 144.2, 137.1, 136.1, 135.6, 131.0, 129.1, 129.0, 128.6, 128.2, 128.2, 127.1, 119.9, 119.0, 118.6, 118.3, 114.9, 67.1, 54.0, 34.2; IR (KBr) 3434, 3054, 1663, 1575, 1436, 1276, 970, 760, 526 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{28}H_{23}NO_5$: 453.1576. Found: 453.1573.

Ethyl 5-(2-hydroxy-5-methylbenzoyl)-2-oxo-1-phenethyl-1,2-dihydropyridine-3-carboxylate (6d). The title compound was prepared according to the general procedure.



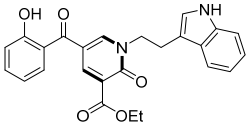
The product was obtained as a yellow solid, mp 197-199 ^{o}C. Yield: 77%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.06 (1H, s), 8.52 (1H, d, J = 2.1 Hz), 7.87 (1H, d, J = 2.4 Hz), 7.37-7.24 (4H, m), 7.22-7.20 (3H, m), 7.18 (1H, d, J = 8.1 Hz), 4.41 (2H, q, J = 6.9 Hz), 4.29 (2H, t, J = 6.3 Hz), 3.15 (2H, t, J = 6.3 Hz), 2.30 (3H, s), 1.41 (3H, t, J = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 193.9, 163.9, 160.0, 158.4, 146.7, 143.7, 137.1, 136.9, 130.8, 128.7, 128.1, 127.0, 119.6, 118.3, 118.2, 115.3, 61.3, 53.3, 34.6, 20.4, 14.1; IR (KBr) 3340, 3091, 1678, 1582, 1508, 1272, 783, 517 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{24}H_{23}NO_5$: 405.1576. Found: 405.1575.

Ethyl 5-(5-bromo-2-hydroxybenzoyl)-2-oxo-1-phenethyl-1,2-dihydropyridine-3-carboxylate (6e). The title compound was prepared according to the general procedure.



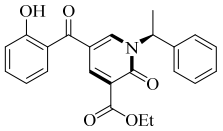
The product was obtained as a yellow solid, mp 183-185 ^{o}C. Yield: 72%.; ^{1}H NMR (300 MHz, $CDCl_3$) δ 11.12 (1H, s), 8.53 (1H, d, J = 2.1 Hz), 7.90 (1H, d, J = 2.4 Hz), 7.65-7.62 (1H, m), 7.56 (1H, s), 7.35-7.28 (3H, m), 7.24-7.21 (2H, m), 7.03 (1H, d, J = 8.1 Hz), 4.45 (2H, q, J = 6.9 Hz), 4.35 (2H, t, J = 6.3 Hz), 3.19 (2H, t, J = 6.3 Hz), 1.46 (3H, t, J = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.8, 163.7, 160.9, 158.3, 147.0, 143.3, 138.7, 136.8, 133.1, 128.9, 128.8, 127.1, 120.6, 120.0, 114.7, 110.6, 61.5, 53.3, 34.7, 14.2; IR (KBr) 3340, 3097, 1693, 1585, 1524, 1294, 771, 524 cm^{-1}; HRMS *m/z* (M^+) calcd for $C_{23}H_{20}BrNO_5$: 469.0525. Found: 469.0523.

Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(2-hydroxybenzoyl)-2-oxo-1,2-dihydropyridine-3-carboxylate (6f). The title compound was prepared according to the general procedure. The



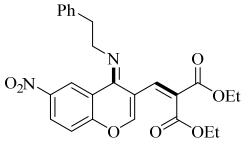
product was obtained as a yellow solid, mp 240-242 ^{o}C. Yield: 65%; ^{1}H NMR (300 MHz, $CDCl_3$) δ 10.69 (1H, s), 10.44 (1H, s), 8.42 (1H, d, J = 2.1 Hz), 7.63 (1H, d, J = 2.1 Hz), 7.41-7.26 (3H, m), 7.05 (1H, t, J = 8.1 Hz), 6.94-6.84 (3H, m), 6.61 (1H, t, J = 7.5 Hz), 6.48 (1H, d, J = 7.8 Hz), 4.31 (2H, q, J = 6.9 Hz), 4.22 (2H, t, J = 6.3 Hz), 3.20 (2H, t, J = 6.3 Hz), 1.34 (3H, t, J = 6.9 Hz); ^{13}C NMR (75 MHz, $CDCl_3$) δ 192.5, 163.5, 159.4, 158.2, 147.8, 143.1, 136.1, 134.4, 130.1, 126.6, 123.0, 121.1, 119.7, 119.0, 118.7, 118.6, 117.4, 117.2, 114.3, 111.3, 109.5, 60.6, 52.3, 23.6, 13.8; IR (KBr) 3434, 3340, 3054, 1696, 1580, 1276, 970, 767, 506 cm^{-1}; HRMS m/z (M^+) calcd for $C_{25}H_{22}N_2O_5$: 430.1529. Found: 430.1526.

Ethyl (*S*)-5-(2-hydroxybenzoyl)-2-oxo-1-(1-phenylethyl)-1,2-dihydropyridine-3-carboxylate (6g). The title compound was prepared according to the general procedure.



The product was obtained as a light green liquid. Yield: 67%.; ^{1}H NMR (600 MHz, $CDCl_3$) δ 11.26 (1H, s), 8.42 (1H, d, J = 3.0 Hz), 7.86 (1H, d, J = 3.0 Hz), 7.39 (6H, m), 7.10 (1H, dd, J = 1.2, 7.8 Hz), 6.93 (1H, d, J = 8.4 Hz), 6.63 (1H, t, J = 7.8 Hz), 6.46 (1H, q, J = 7.2 Hz), 4.33 (2H, q, J = 7.2 Hz), 1.70 (3H, d, J = 6.6 Hz), 1.33 (3H, t, J = 6.6 Hz); ^{13}C NMR (150 MHz, $CDCl_3$) δ 193.9, 164.0, 162.2, 158.3, 144.1, 142.7, 138.6, 136.0, 130.9, 129.1, 128.6, 127.4, 120.1, 118.6, 118.5, 118.2, 115.4, 61.3, 54.2, 18.7, 14.1; IR (neat) 3350, 2981, 1831, 1727, 1600, 1539, 1228, 1027, 710, 551 cm^{-1}; HRMS m/z (M^+) calcd for $C_{23}H_{21}NO_5$: 391.1420. Found: 391.1420; $[\alpha]_D^{26}$ = - 87.7 (c 1.0, CH_3OH).

Diethyl (*Z*)-2-((6-nitro-4-(phenethylimino)-4H-chromen-3-yl)methylene)malonate (6h). The title compound was prepared according to the general procedure. The

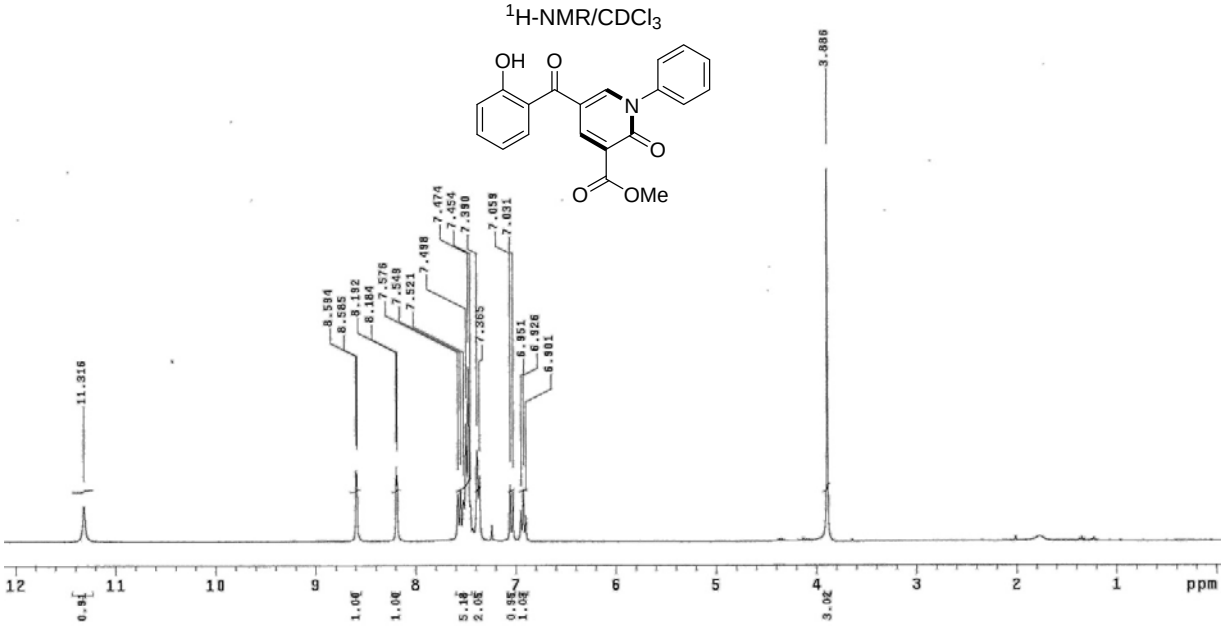


product was obtained as a yellow solid, mp 180-182 ^{o}C. Yield: 58%.; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.21 (1H, d, J = 2.4 Hz), 8.38 (1H, dd, J = 2.4, 9.0 Hz), 7.89 (1H, s), 7.64 (1H, s), 7.50 (1H, d, J = 9.6 Hz), 7.29-7.25 (3H, m), 7.06 (2H, d, J = 7.2 Hz), 4.41 (2H, t, J = 7.2 Hz), 4.31 (2H, q, J = 6.6 Hz), 4.27 (2H, q, J = 7.2 Hz), 3.16 (2H, t, J = 7.2 Hz), 1.31 (6H, t, J = 7.2 Hz),; ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.2, 166.3, 164.6, 146.1, 143.8, 142.2, 135.8, 135.1, 129.2, 128.6, 127.7, 126.6, 126.4, 125.8, 124.3, 116.9, 116.4, 61.6, 61.5, 55.4, 35.2, 14.1, 14.1; IR (KBr) 2979, 1713, 1483, 1335, 1231, 1022, 747 cm^{-1}; HRMS m/z (M^+) calcd for $C_{25}H_{24}N_2O_7$: 464.1584. Found: 464.1585.

^{1}H NMR and ^{13}C NMR Spectra of compounds 4-6

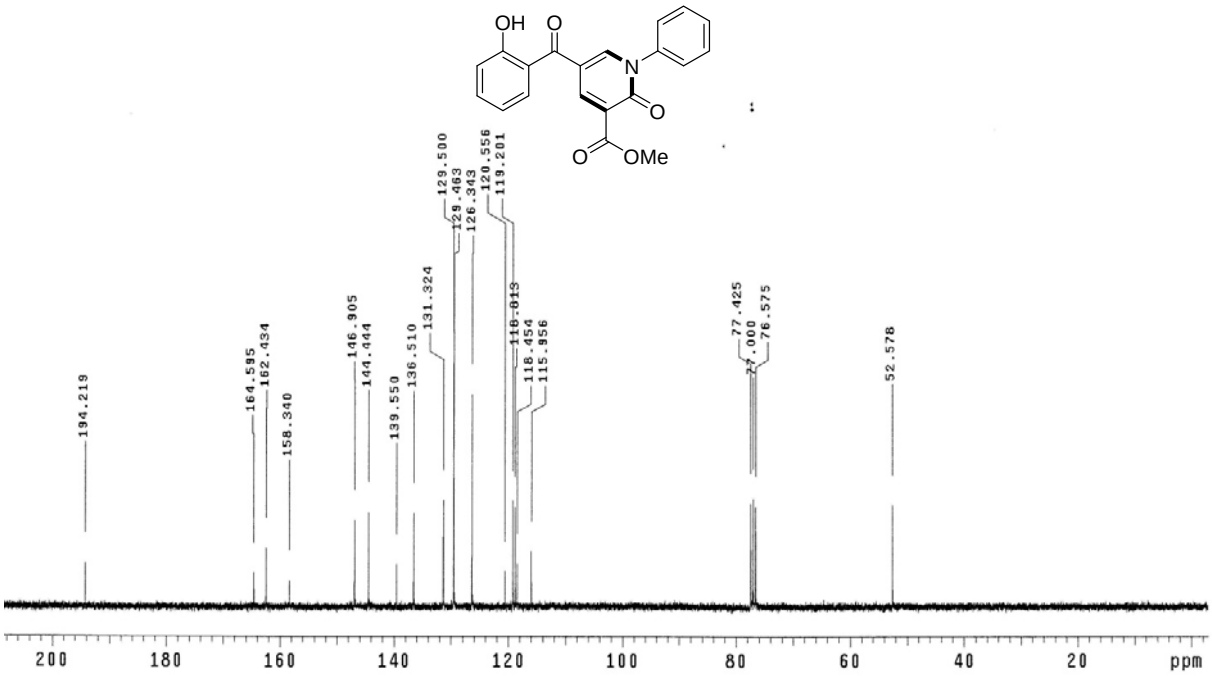
Compound-**4a**

^{1}H-NMR/$CDCl_3$



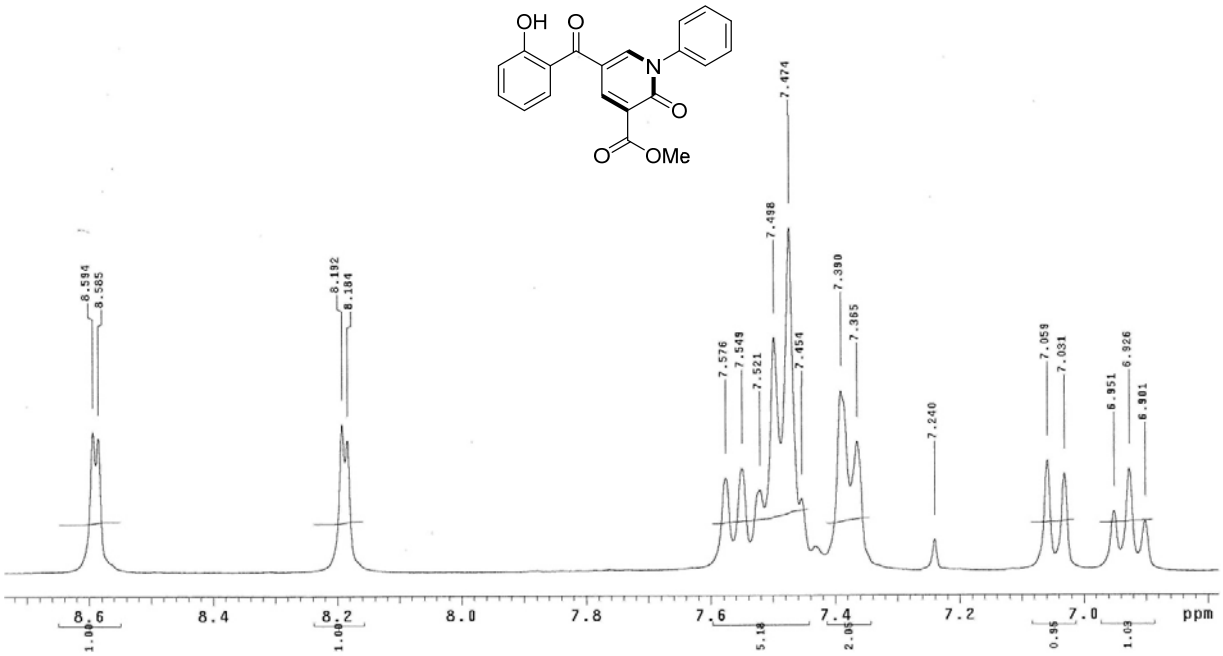
Compound-**4a**

^{13}C-NMR/$CDCl_3$



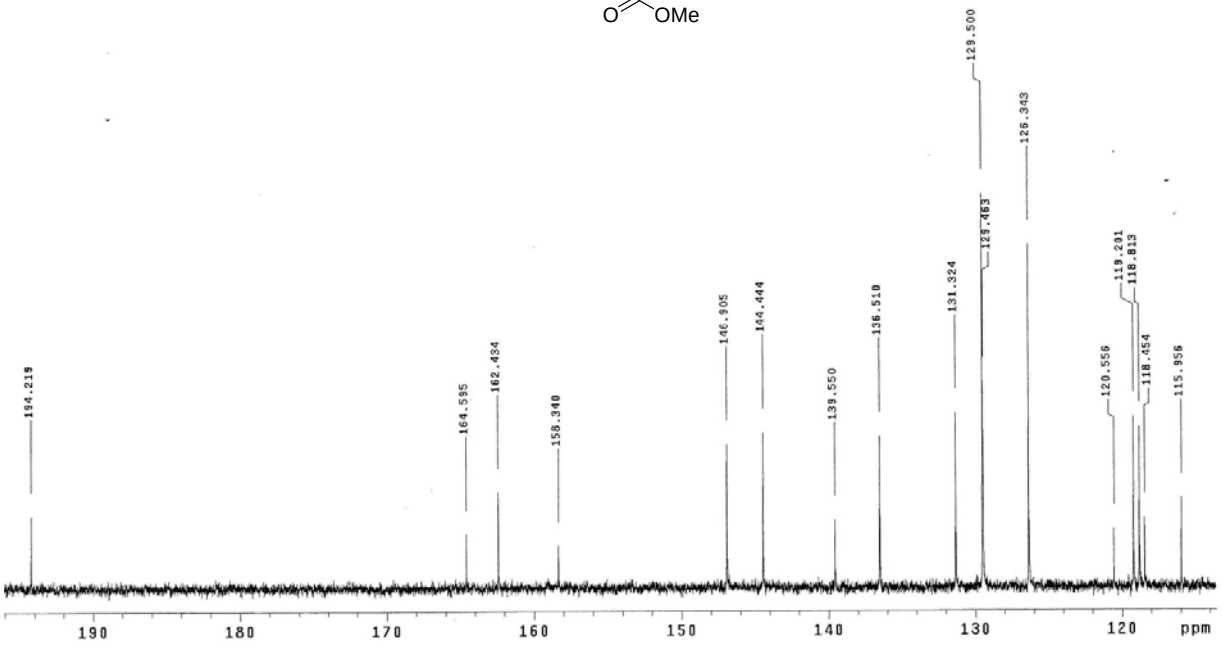
Compound-**4a**

^{1}H-NMR/$CDCl_3$, Expansion



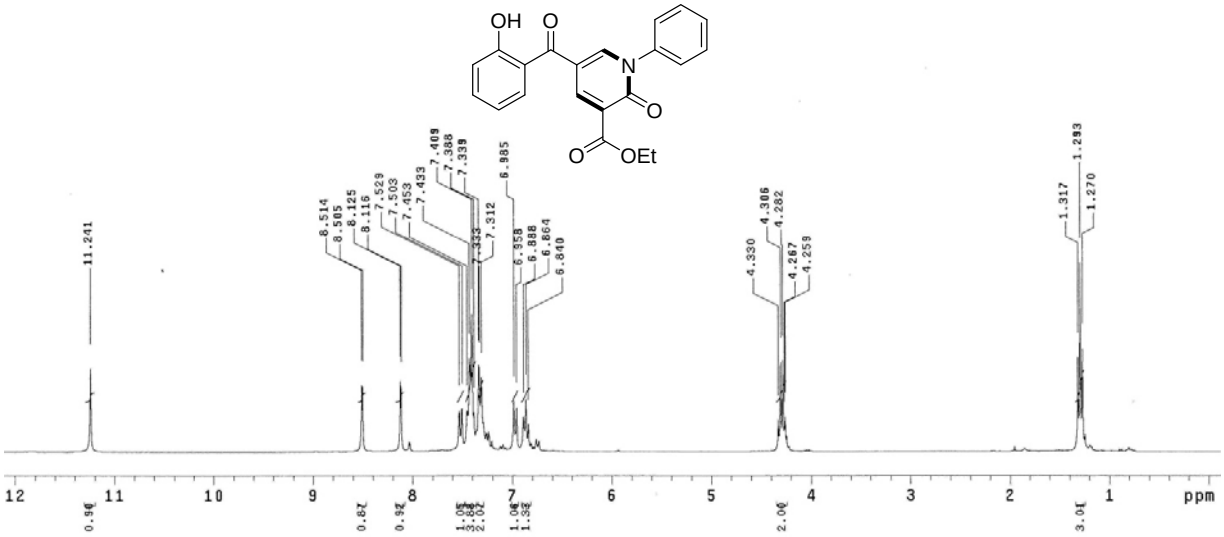
Compound-**4a**

^{13}C-NMR/$CDCl_3$, Expansion



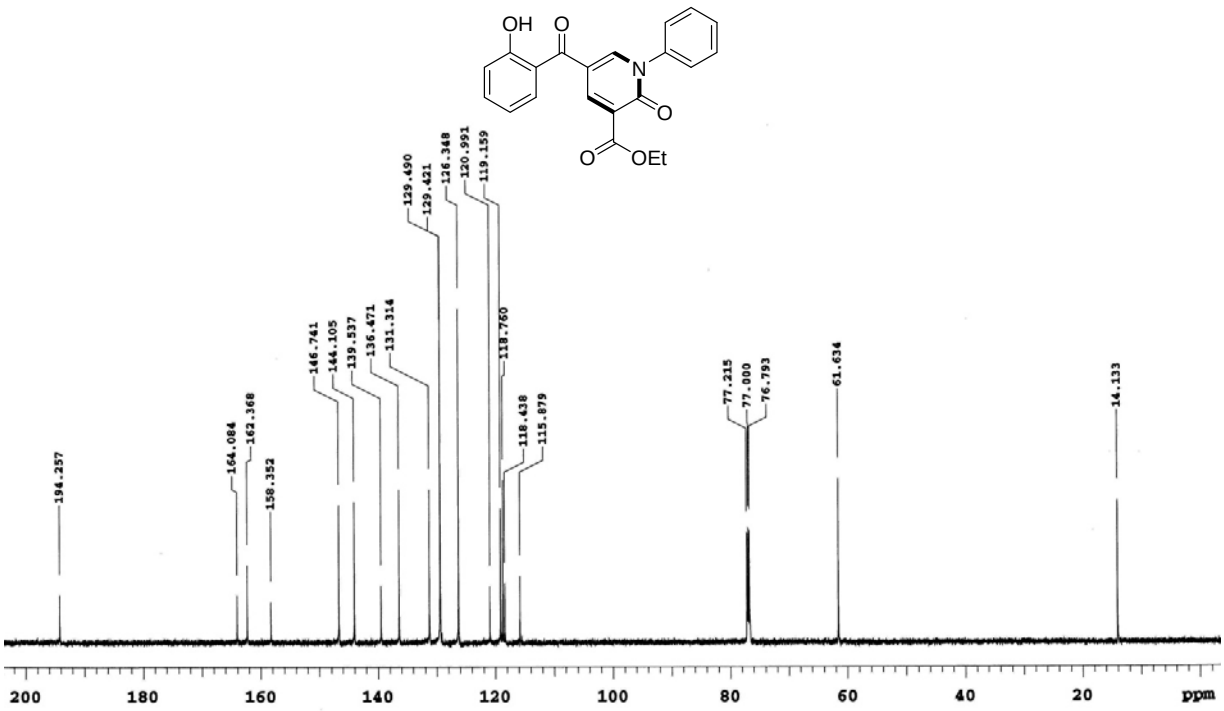
Compound-**4b**

^{1}H-NMR/$CDCl_3$



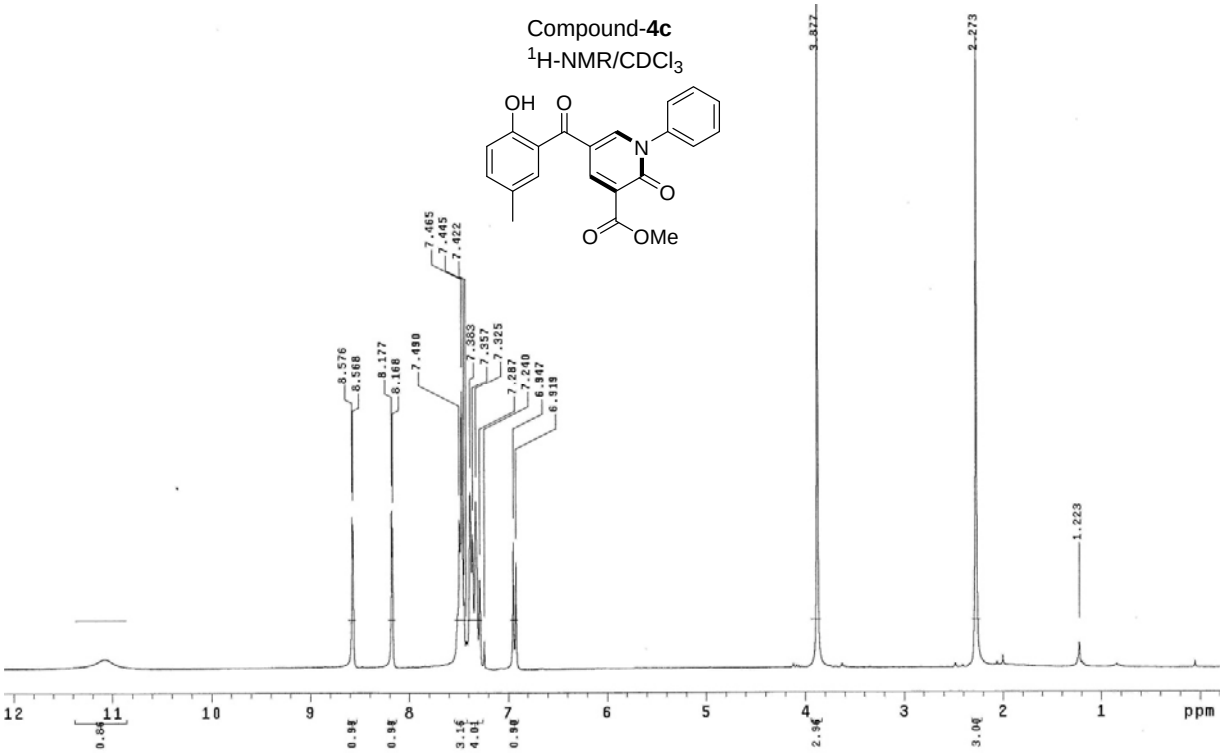
Compound-**4b**

^{13}C-NMR/$CDCl_3$



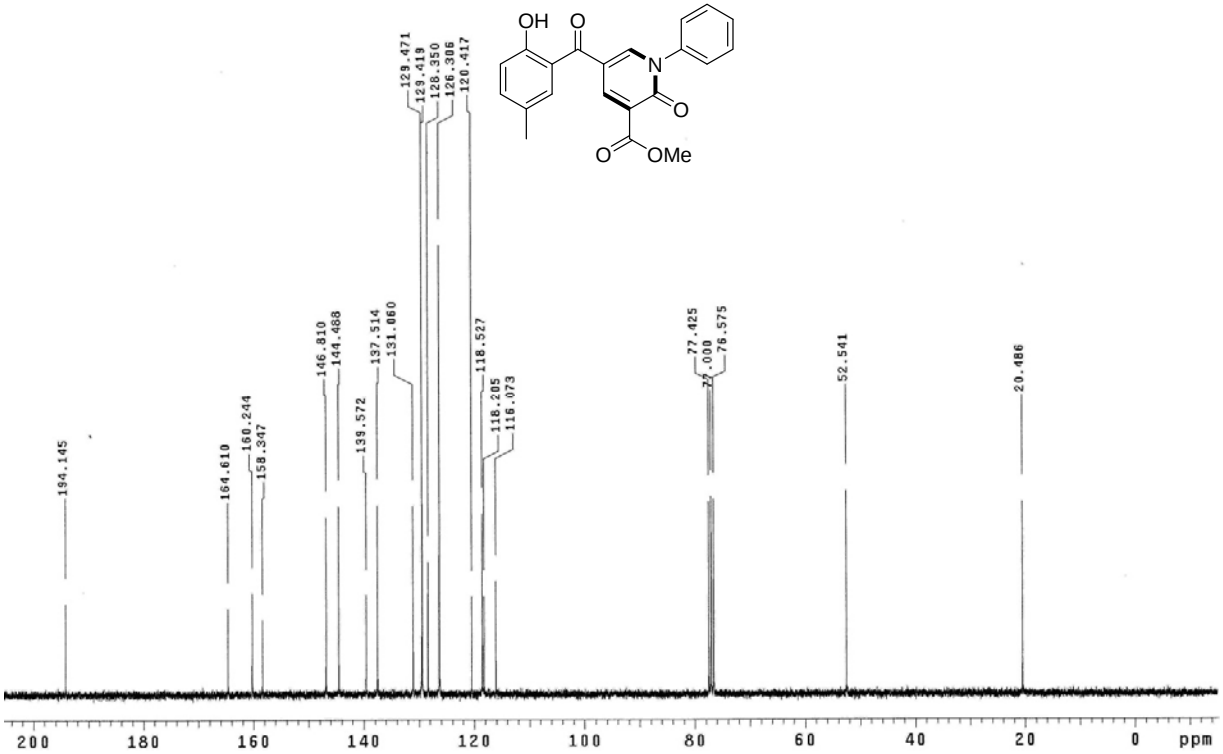
Compound-**4c**

^{1}H-NMR/$CDCl_3$



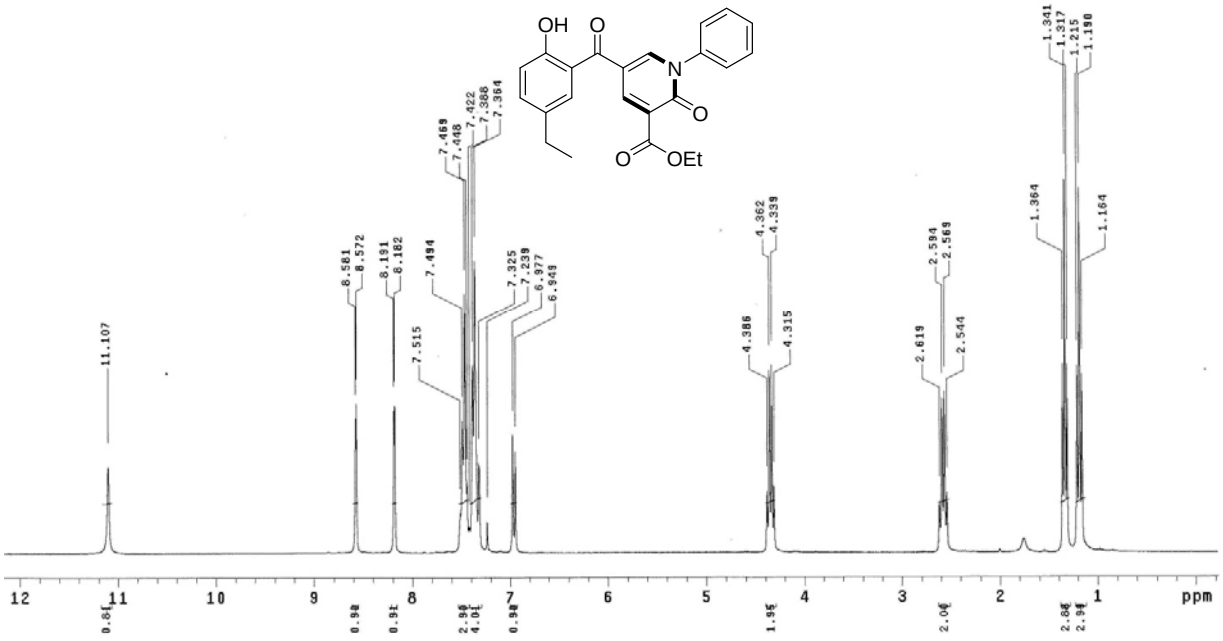
Compound-**4c**

^{13}C-NMR/$CDCl_3$



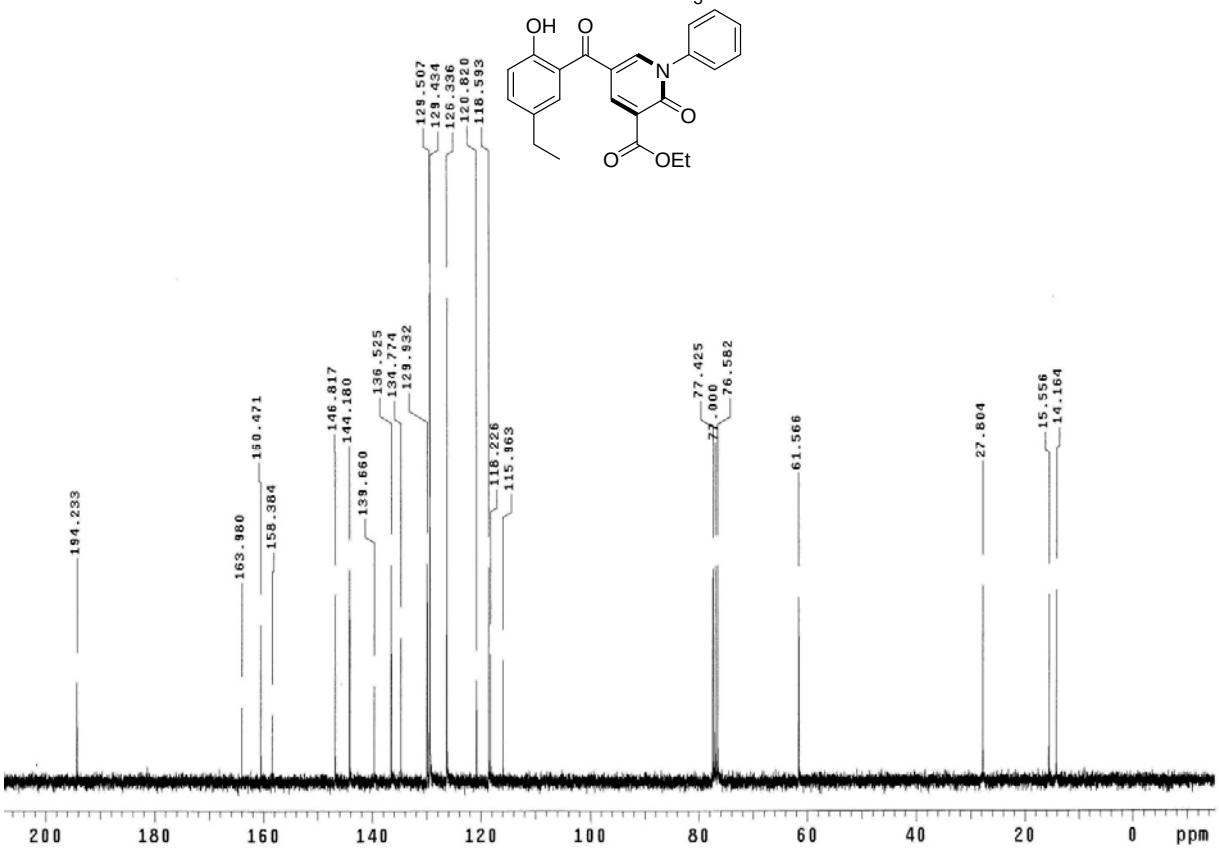
Compound-**4d**

^{1}H-NMR/$CDCl_3$



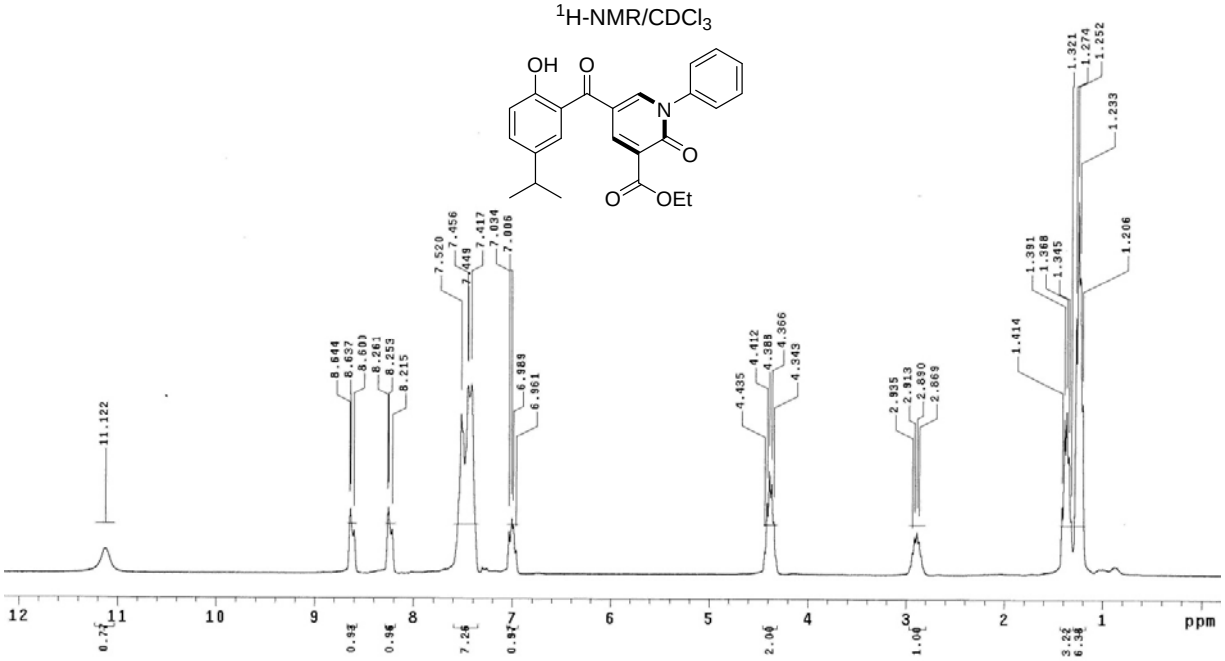
Compound-**4d**

^{13}C-NMR/$CDCl_3$



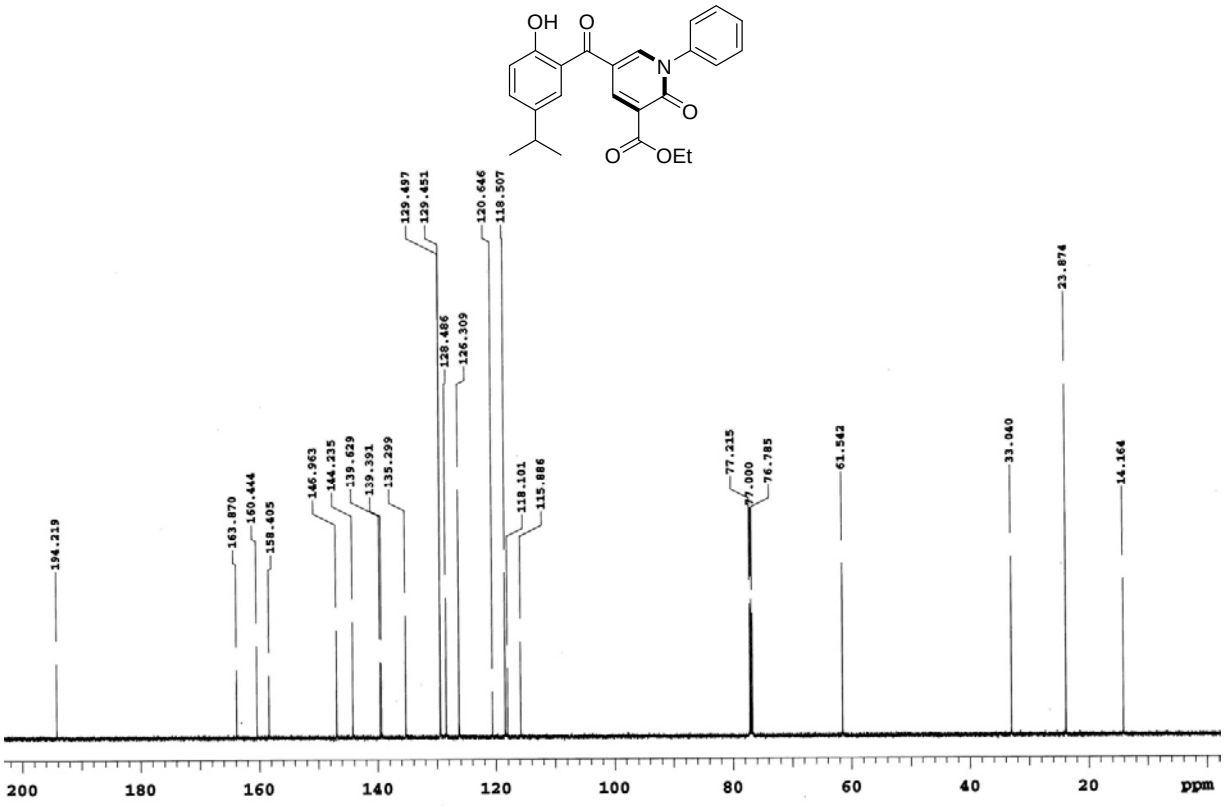
Compound-**4e**

^{1}H-NMR/$CDCl_3$



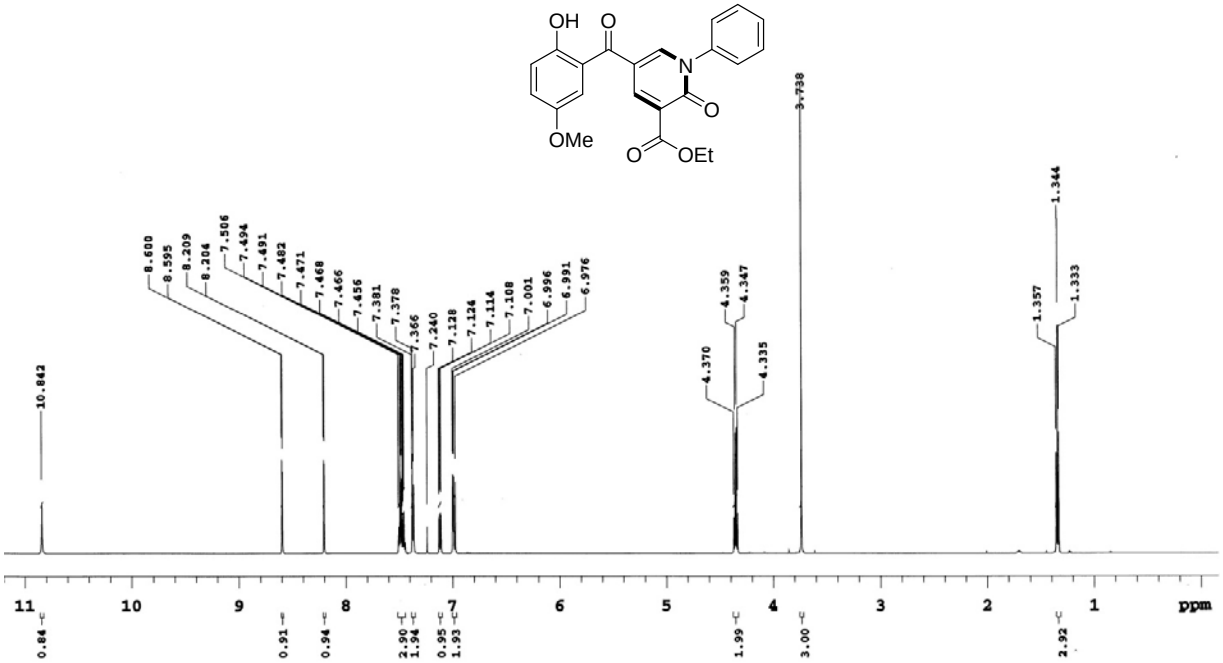
Compound-**4e**

^{13}C-NMR/$CDCl_3$



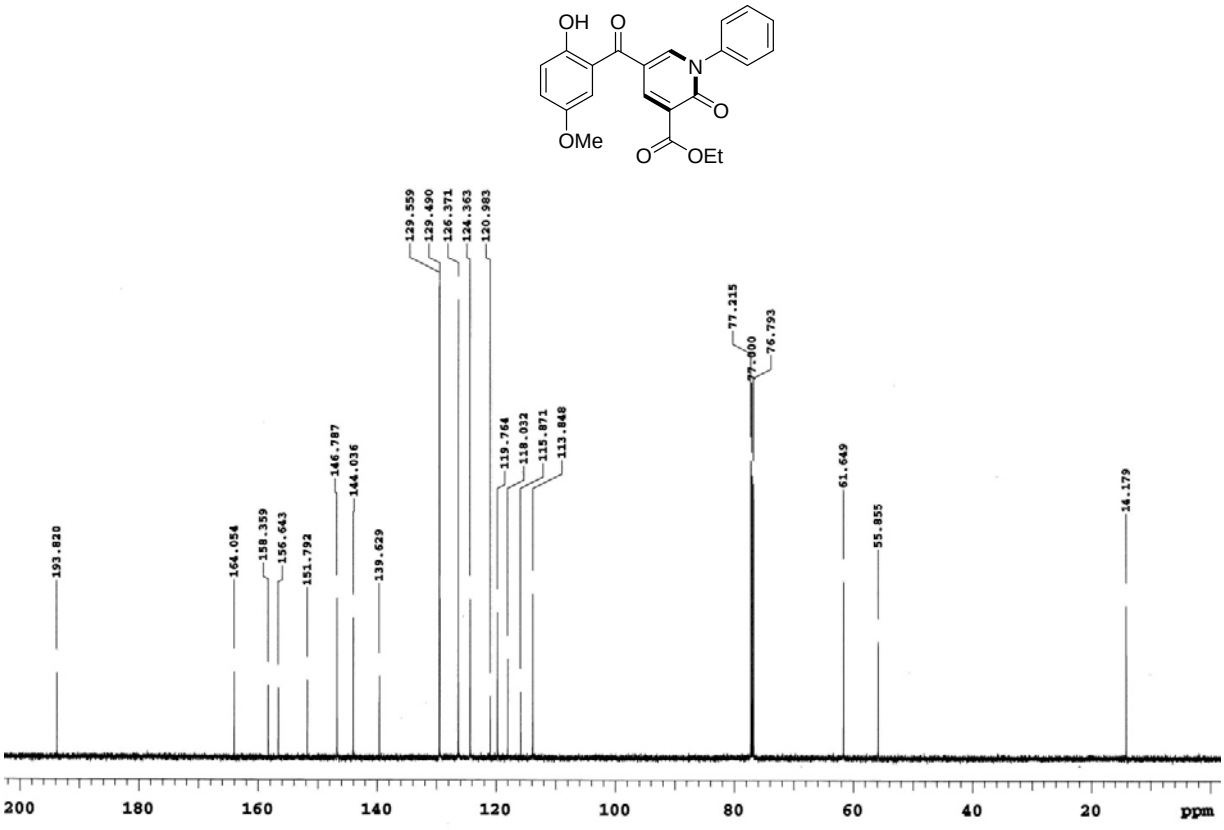
Compound-**4f**

^{1}H-NMR/$CDCl_3$



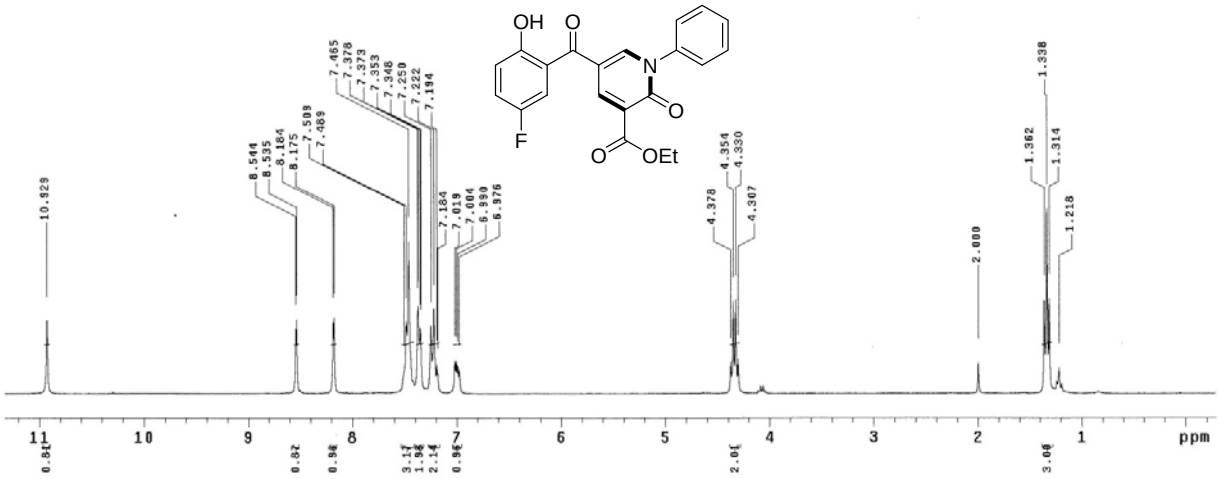
Compound-**4f**

^{13}C-NMR/$CDCl_3$



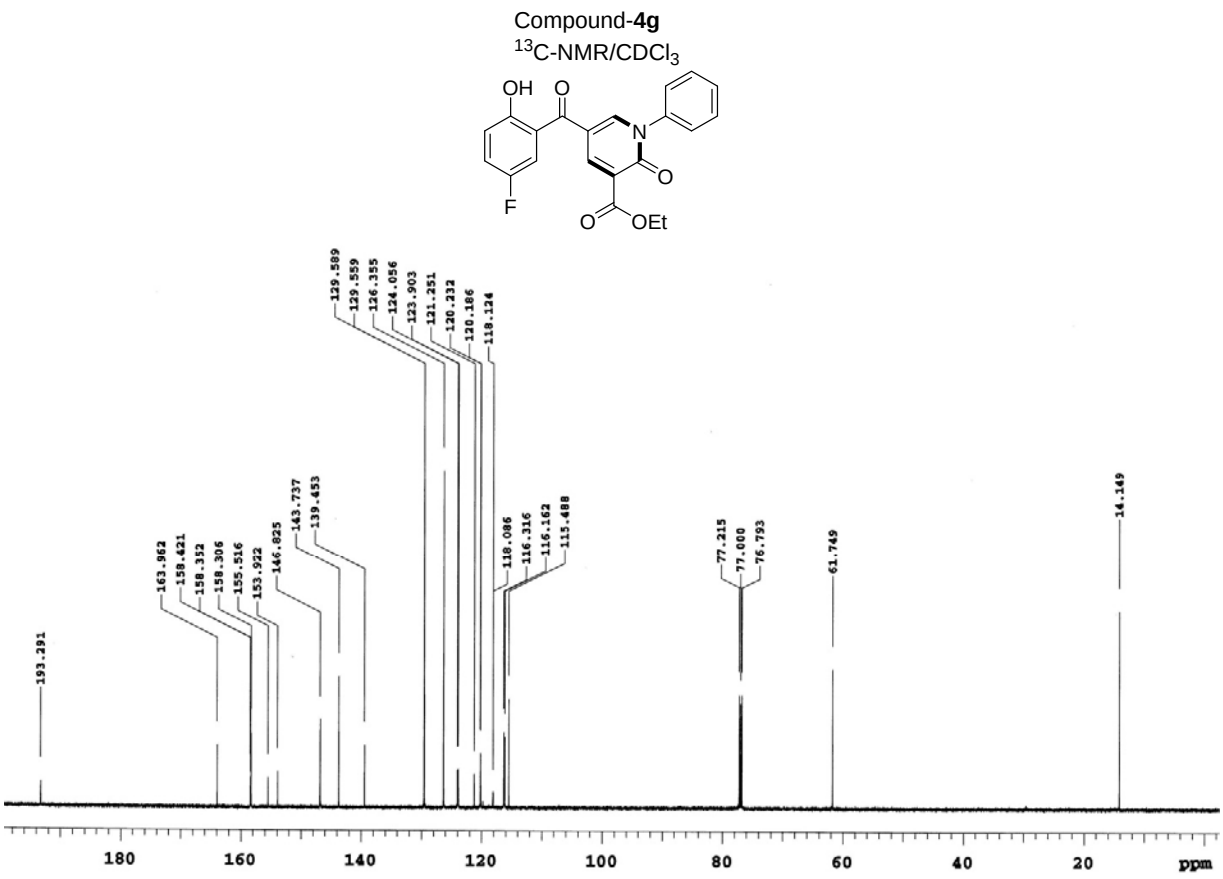
Compound-**4g**

^{1}H-NMR/$CDCl_3$



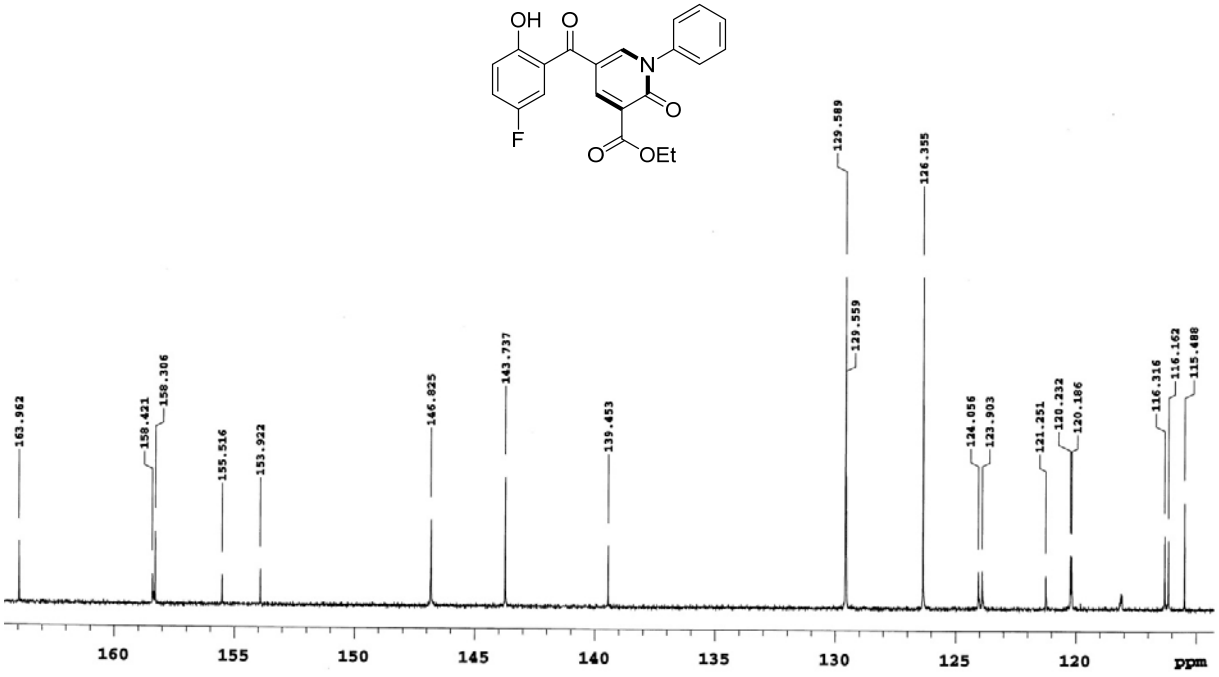
Compound-**4g**

^{13}C-NMR/$CDCl_3$



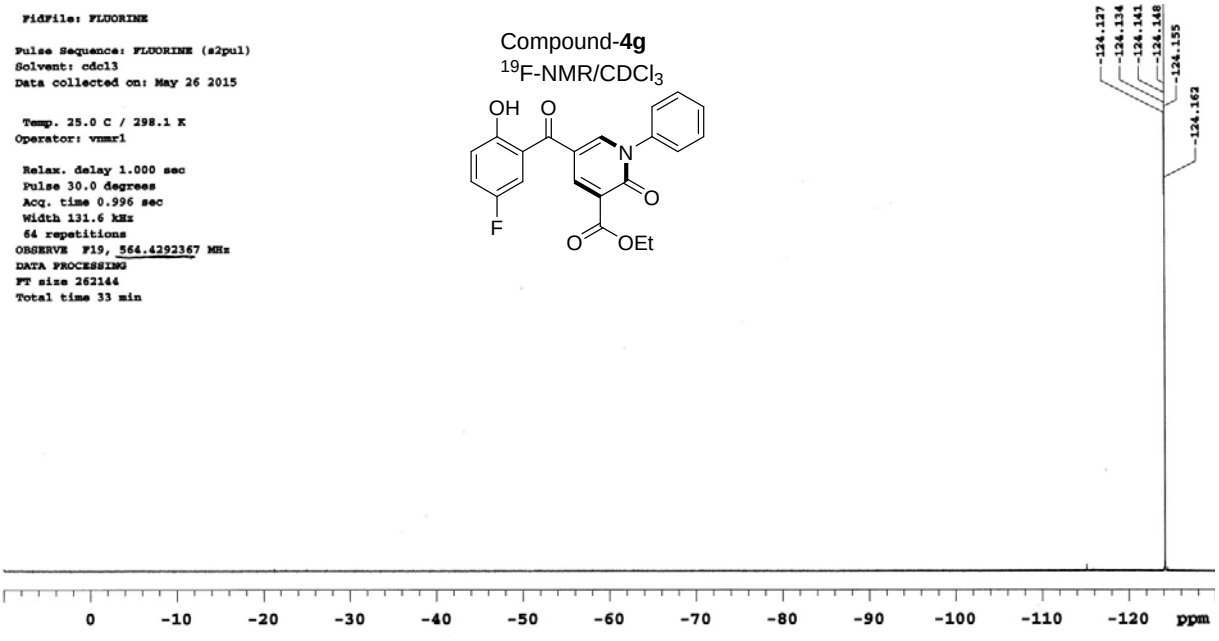
Compound-**4g**

^{13}C-NMR/$CDCl_3$, Expansion



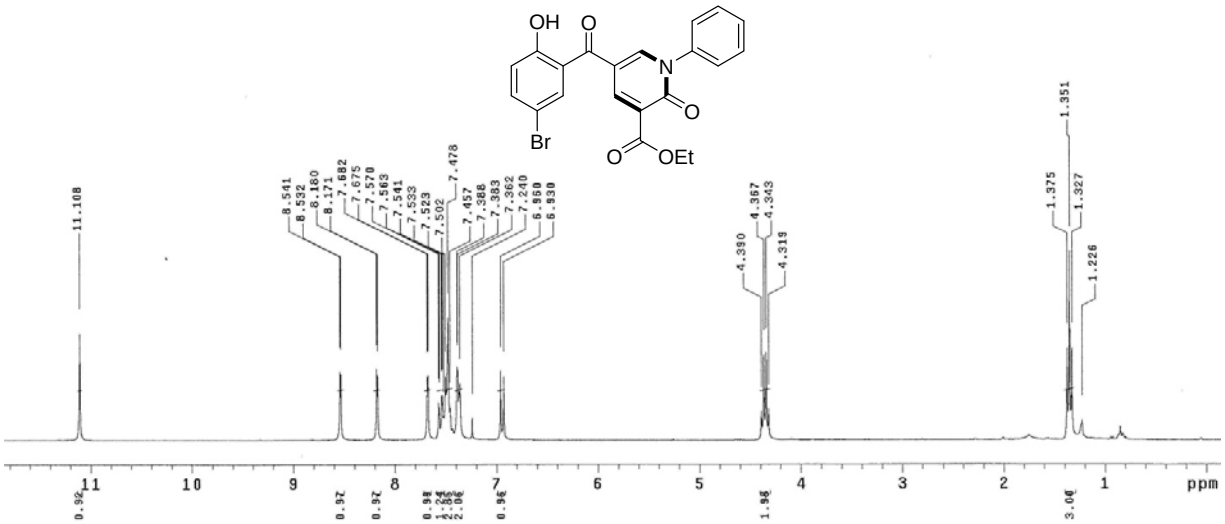
Compound-**4g**

^{19}F-NMR/$CDCl_3$



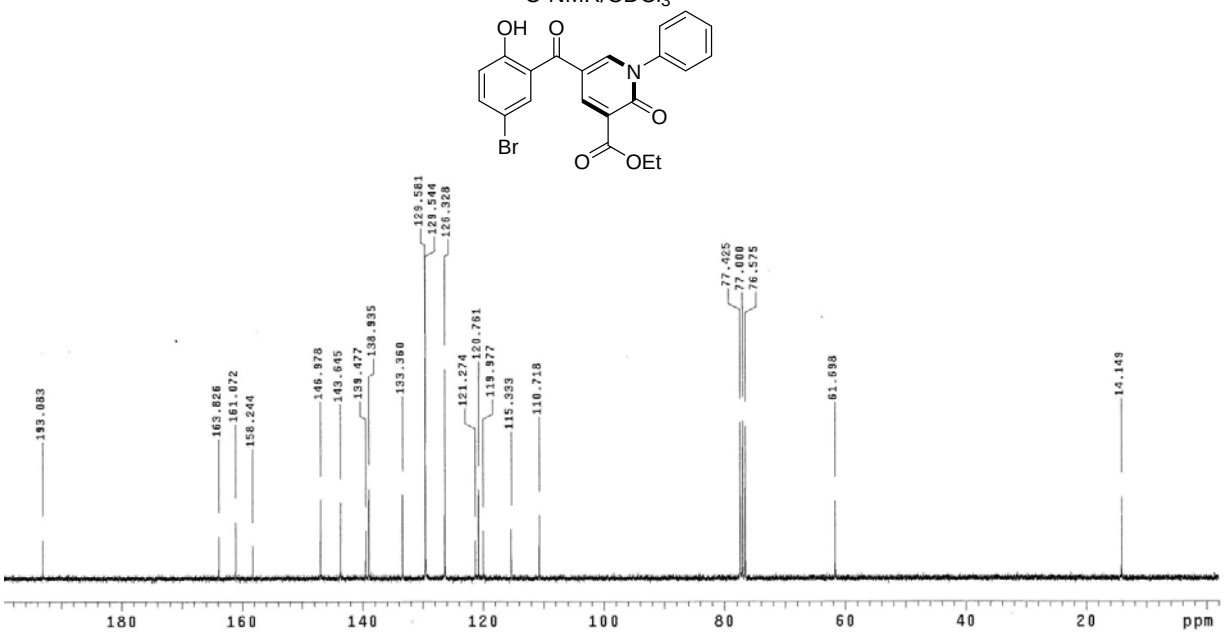
Compound-**4h**

^{1}H-NMR/$CDCl_3$



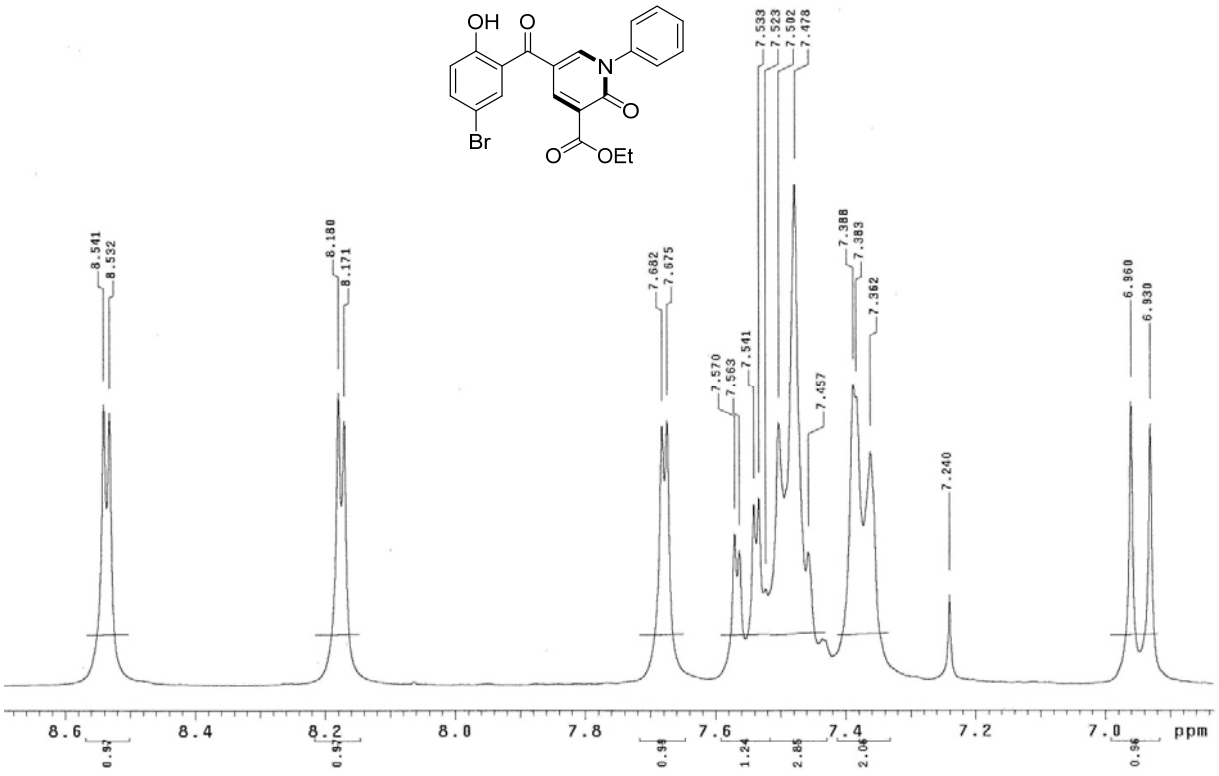
Compound-**4h**

^{13}C-NMR/$CDCl_3$



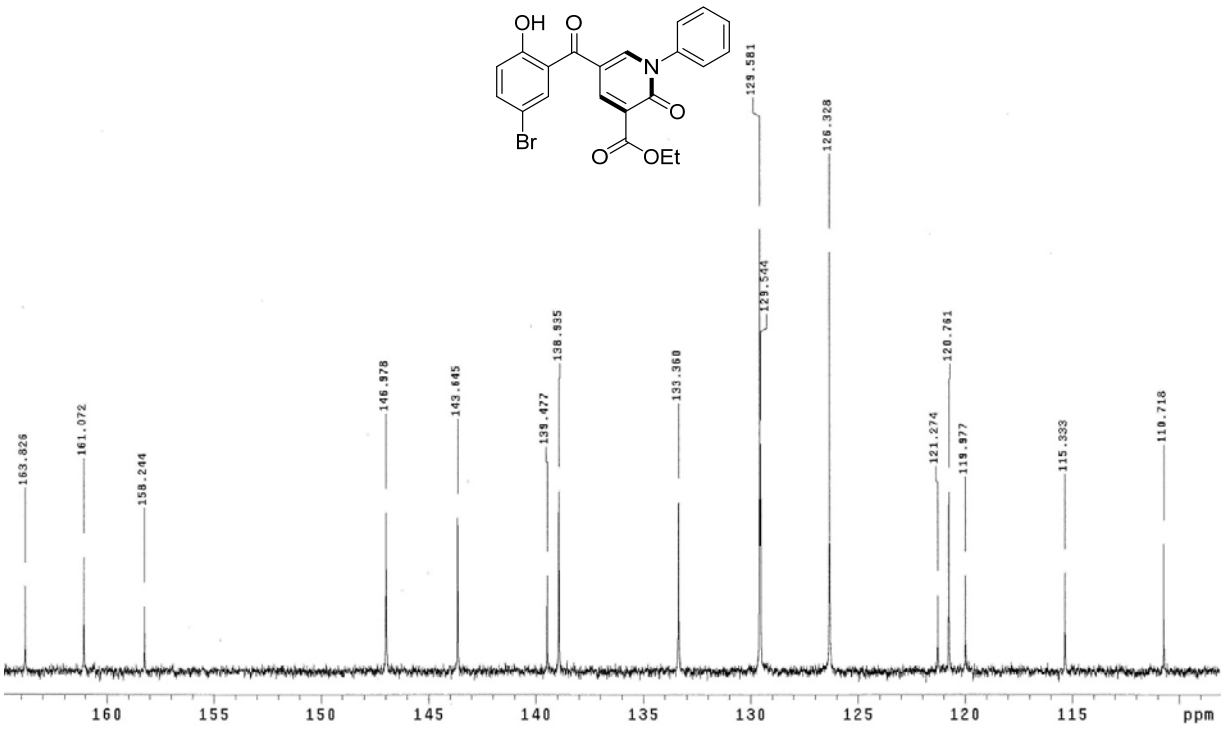
Compound-**4h**

^{1}H-NMR/$CDCl_3$, Expansion



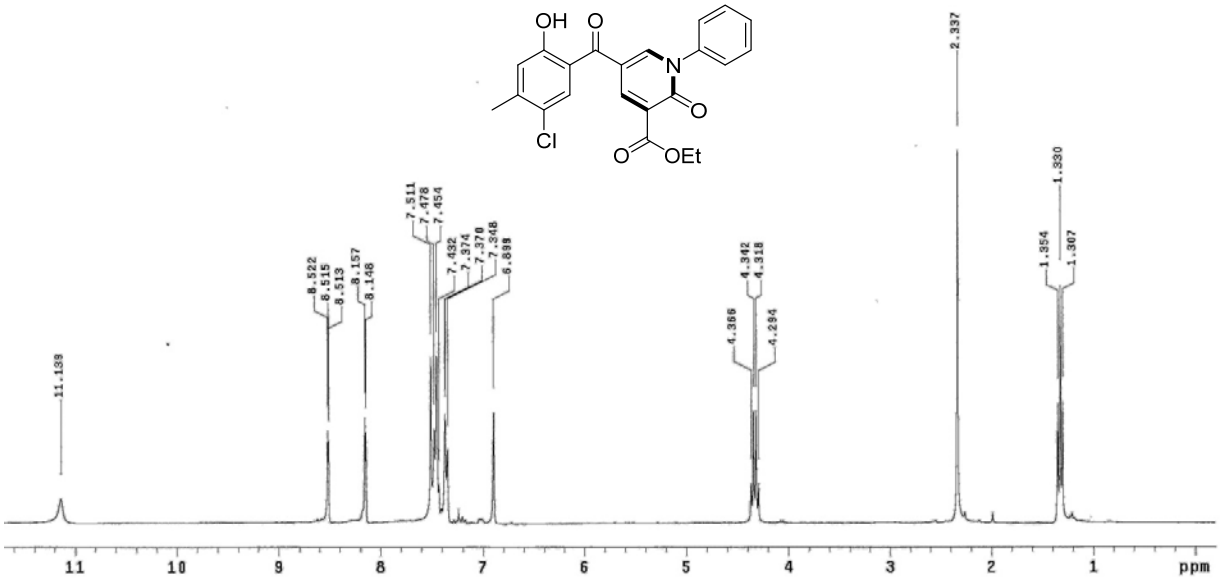
Compound-**4h**

^{13}C-NMR/$CDCl_3$, Expansion



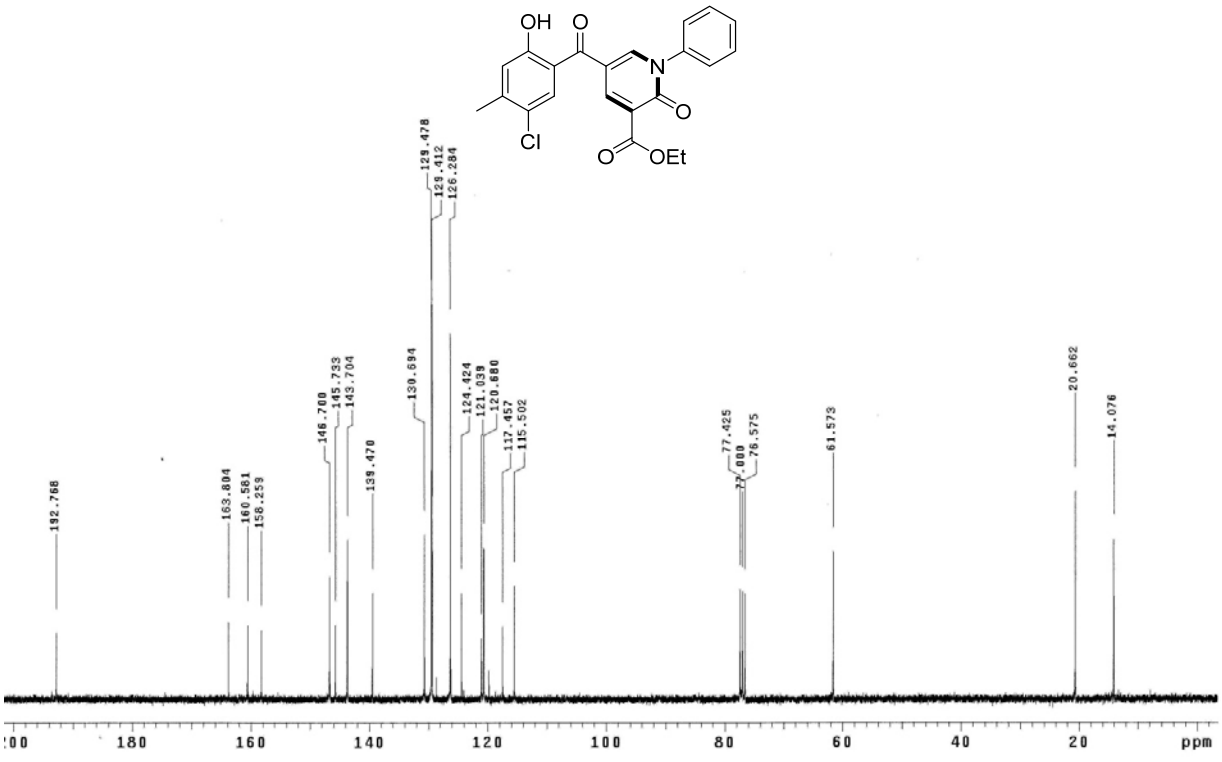
Compound-**4i**

^{1}H-NMR/$CDCl_3$



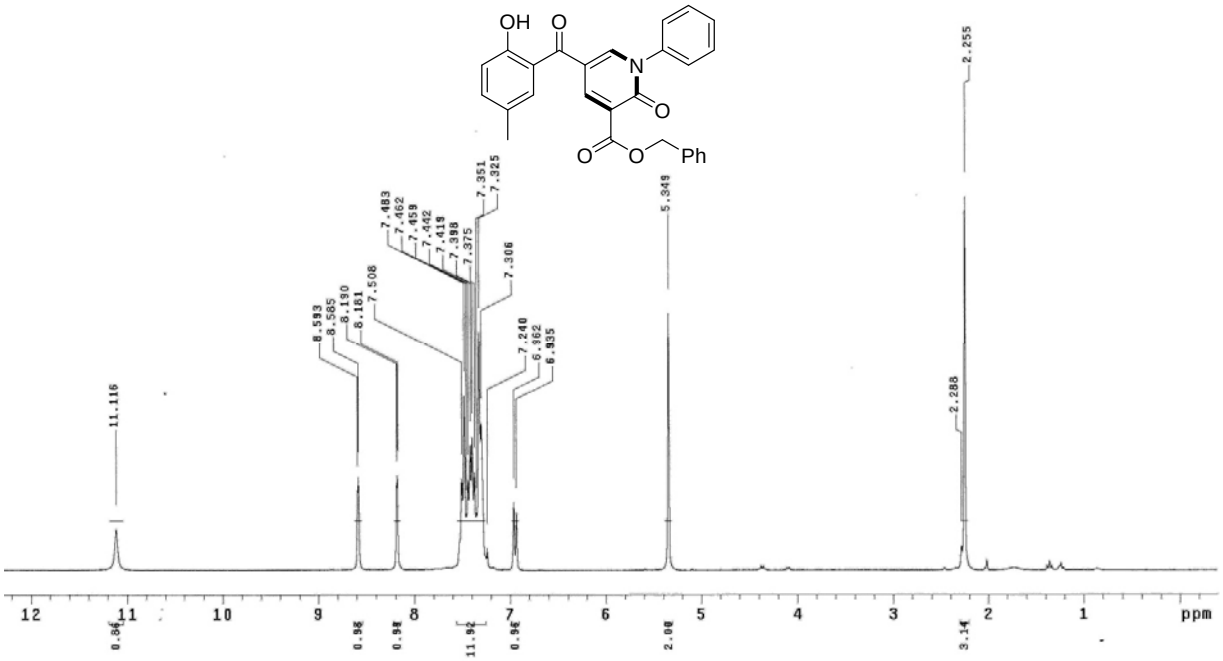
Compound-**4i**

^{13}C-NMR/$CDCl_3$



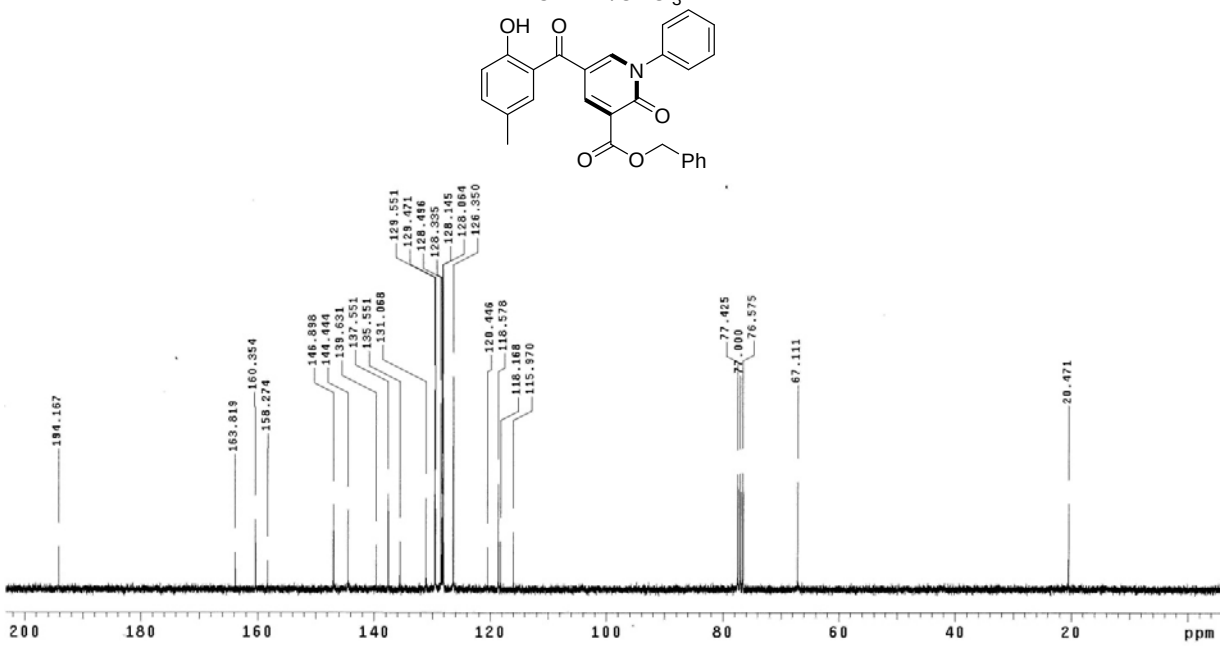
Compound-**4j**

^{1}H-NMR/$CDCl_3$



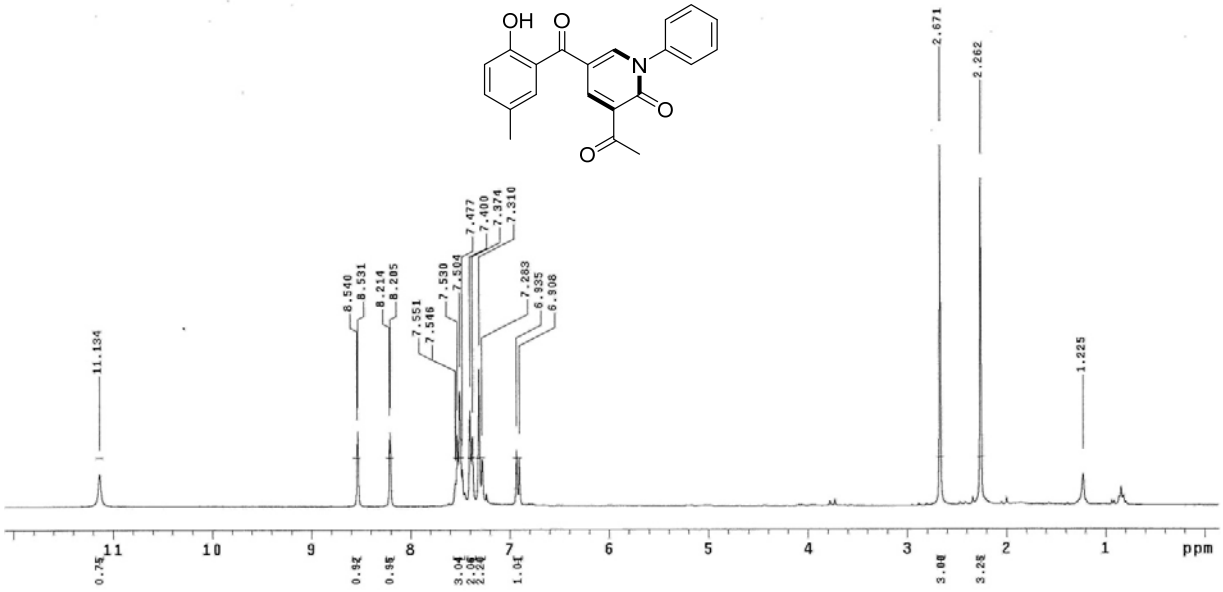
Compound-**4j**

^{13}C-NMR/$CDCl_3$



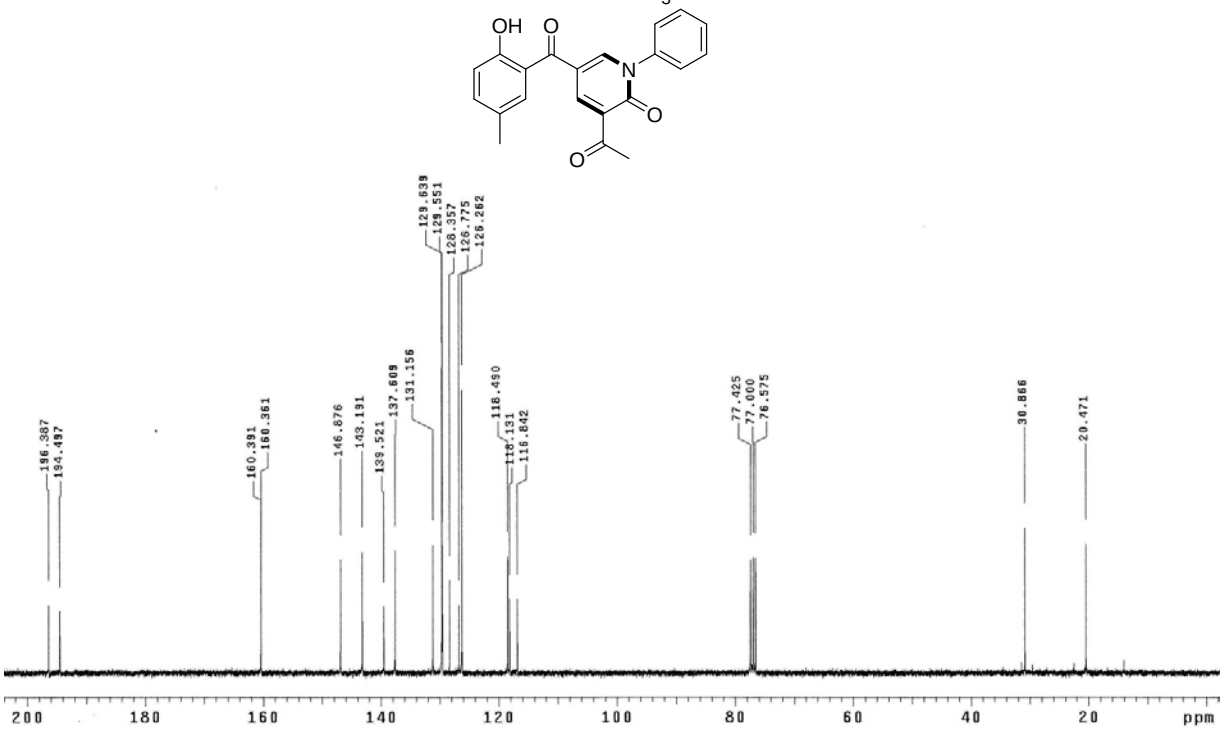
Compound-**4k**

^{1}H-NMR/$CDCl_3$



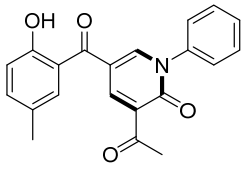
Compound-**4k**

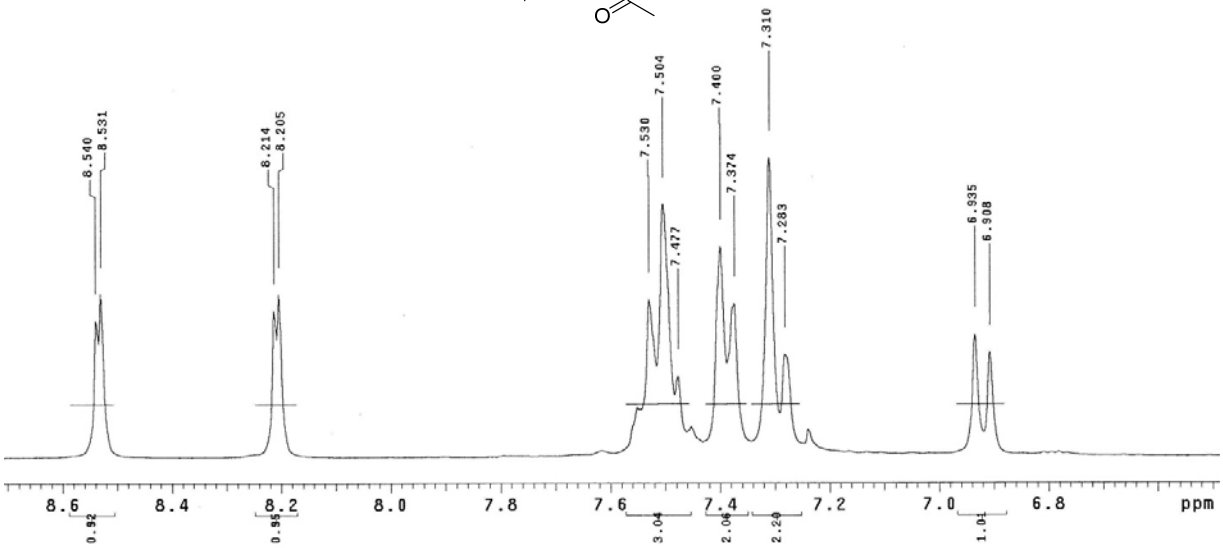
^{13}C-NMR/$CDCl_3$



Compound-**4k**

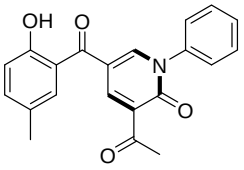
^{1}H-NMR/$CDCl_3$, Expansion

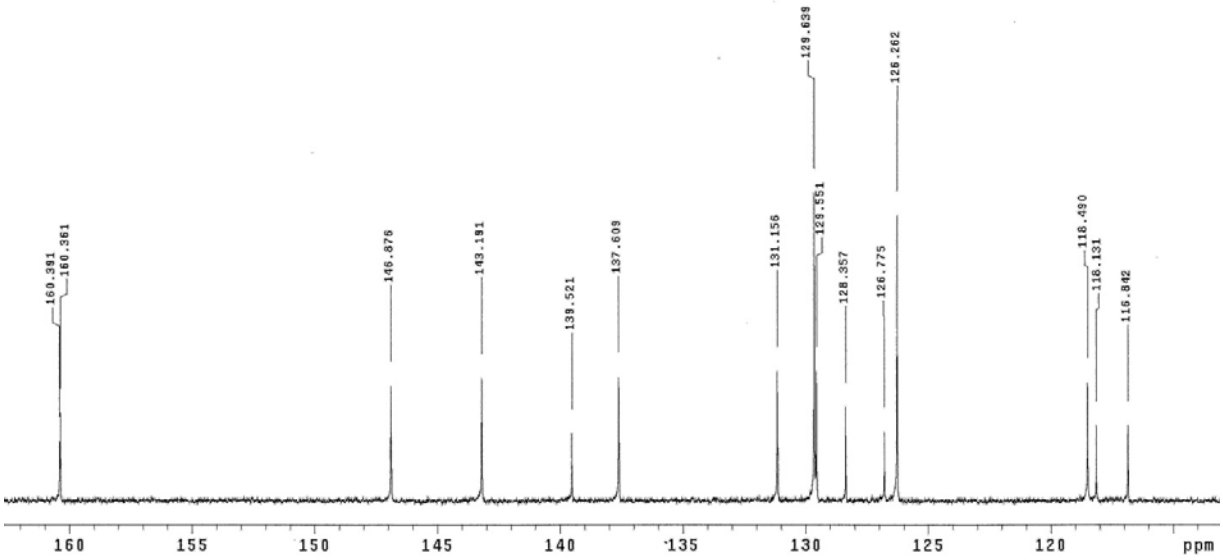




Compound-**4k**

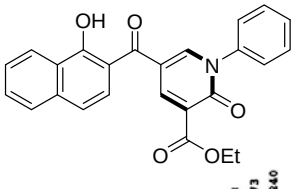
^{13}C-NMR/$CDCl_3$, Expansion

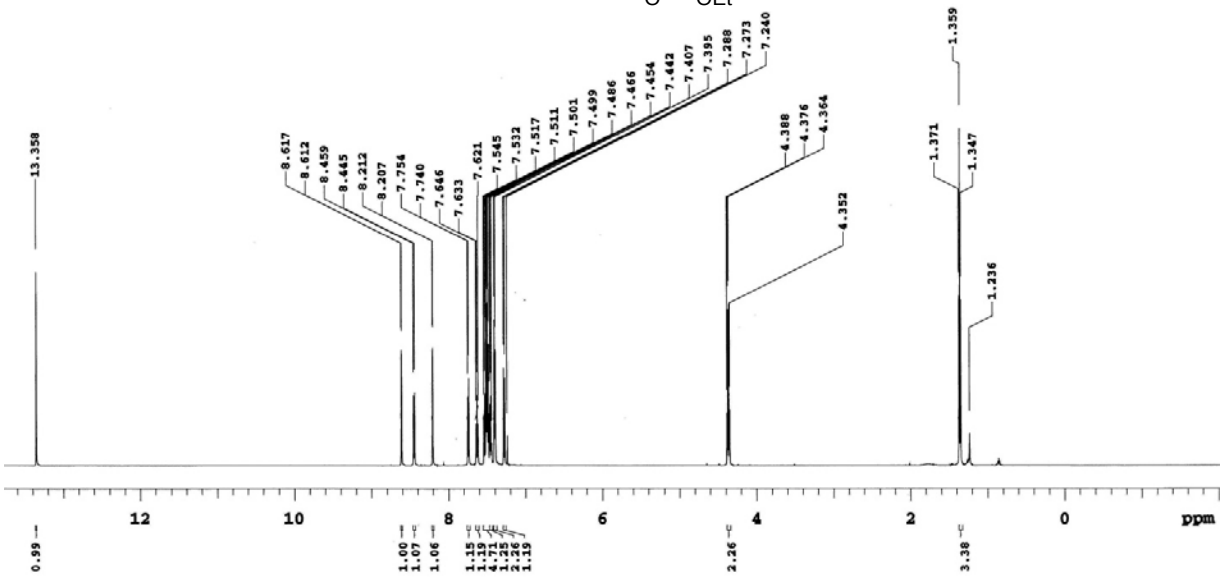




Compound-**4l**

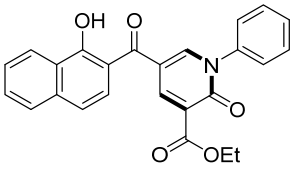
^{1}H-NMR/$CDCl_3$

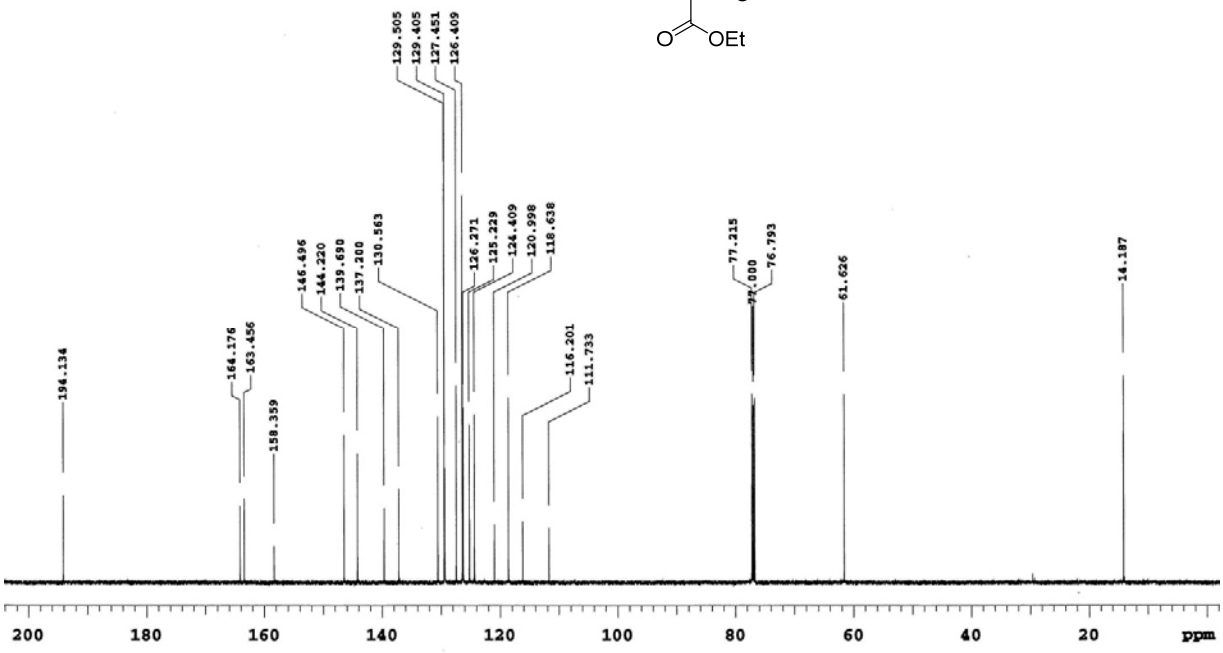




Compound-**4l**

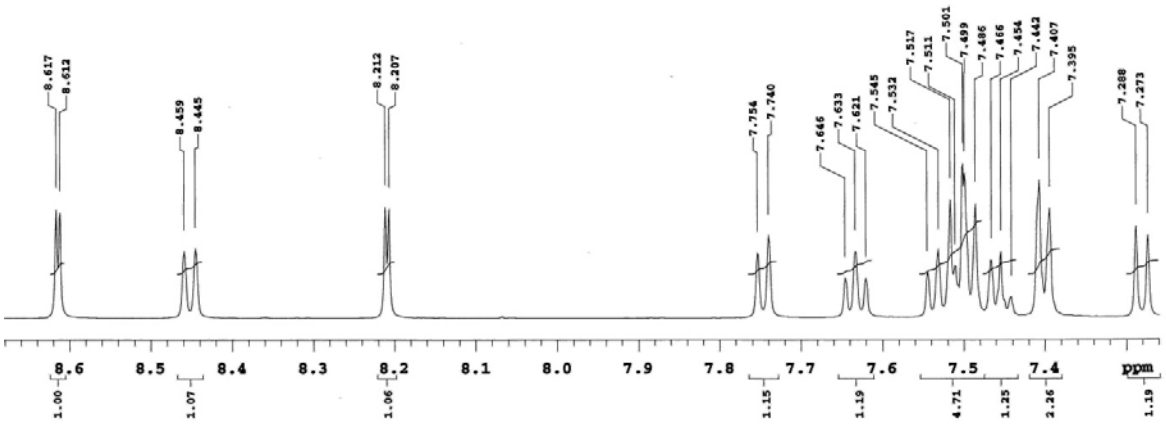
^{13}C-NMR/$CDCl_3$





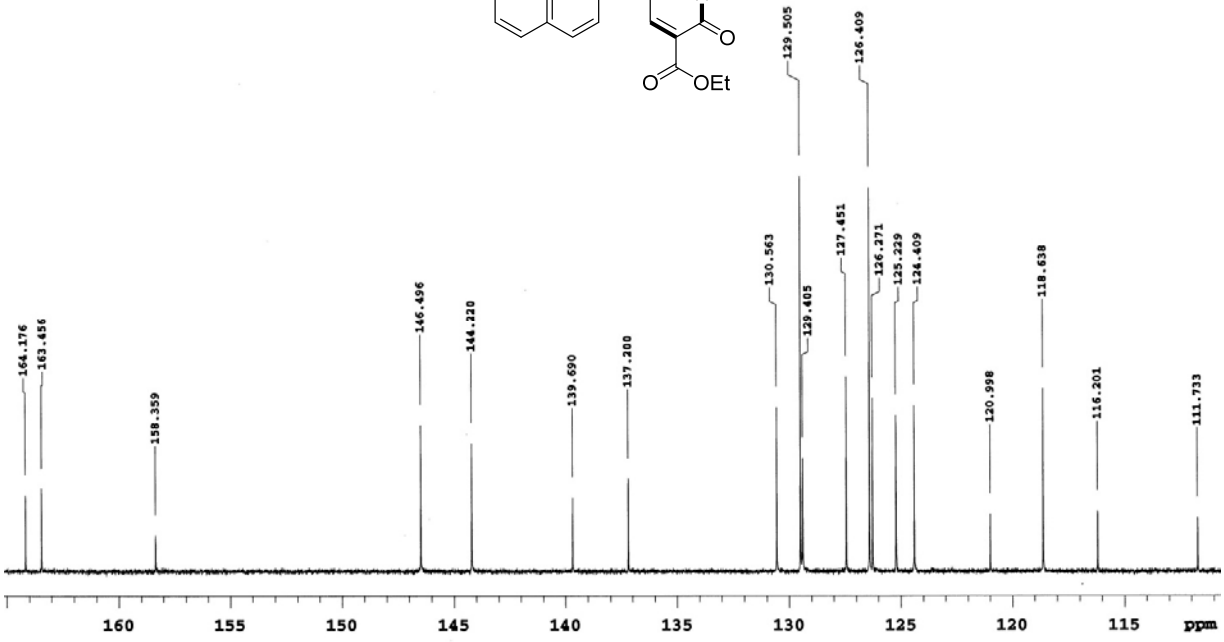
Compound-**4l**

^{1}H-NMR/$CDCl_3$, Expansion



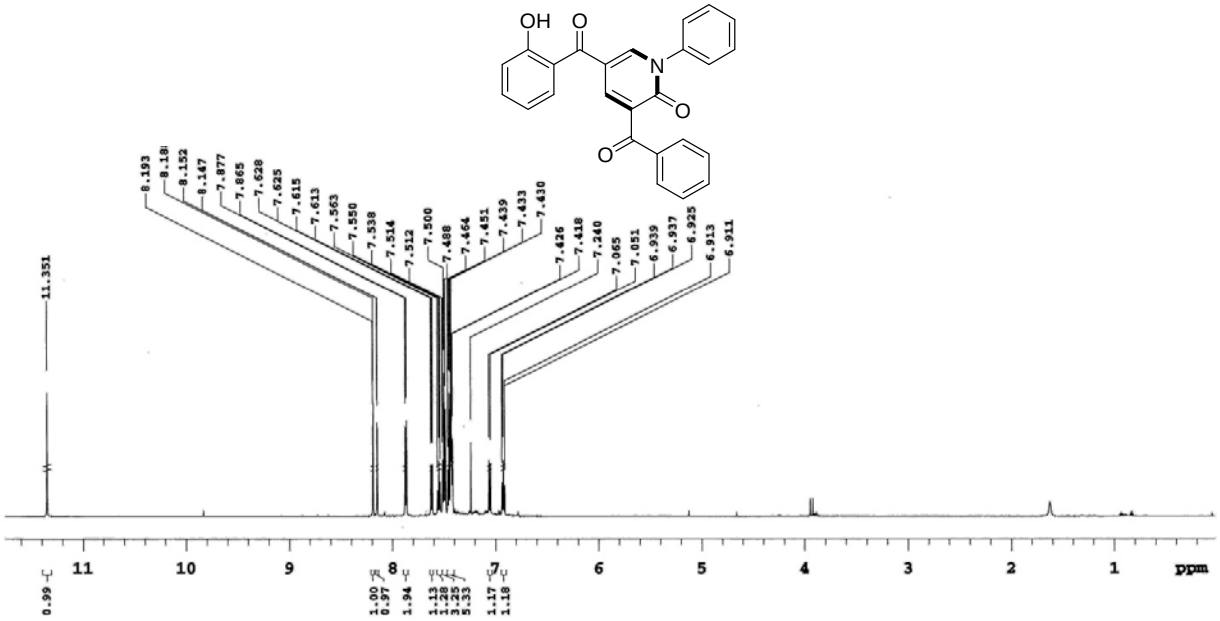
Compound-**4l**

^{13}C-NMR/$CDCl_3$, Expansion



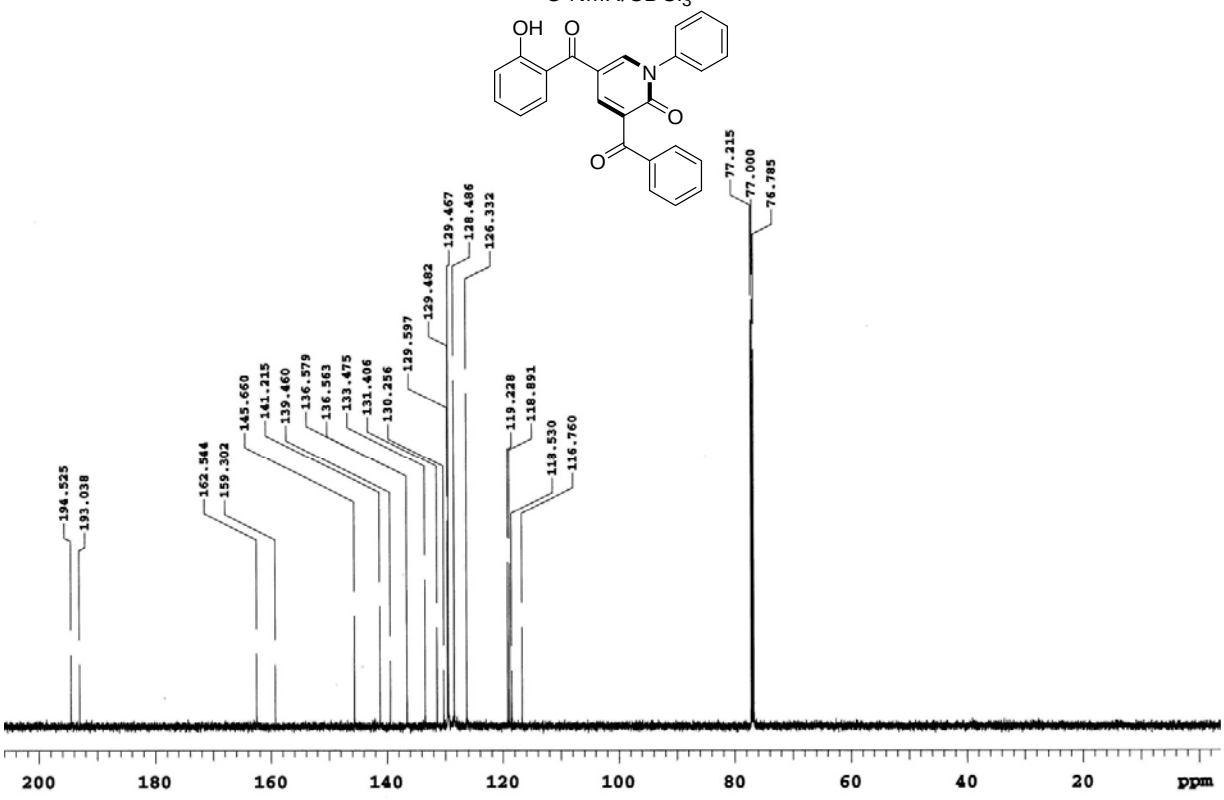
Compound-**4m**

^{1}H-NMR/$CDCl_3$



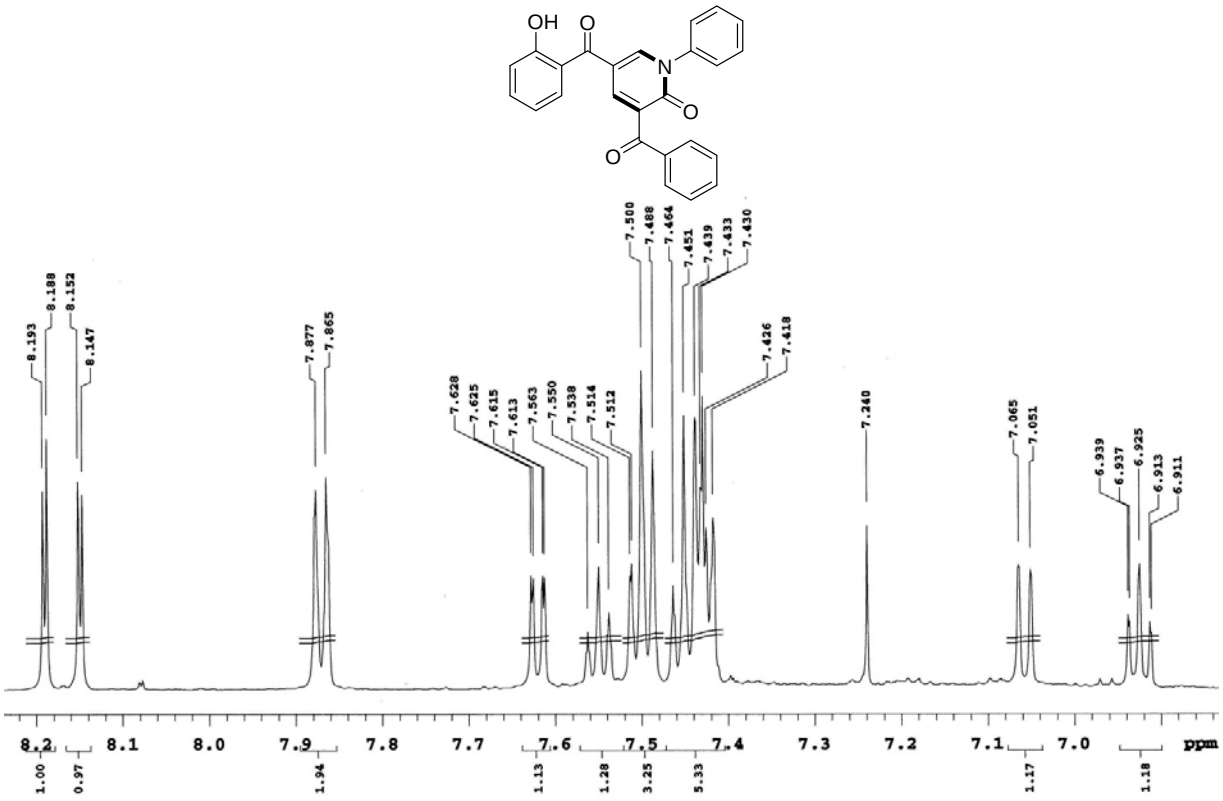
Compound-**4m**

^{13}C-NMR/$CDCl_3$



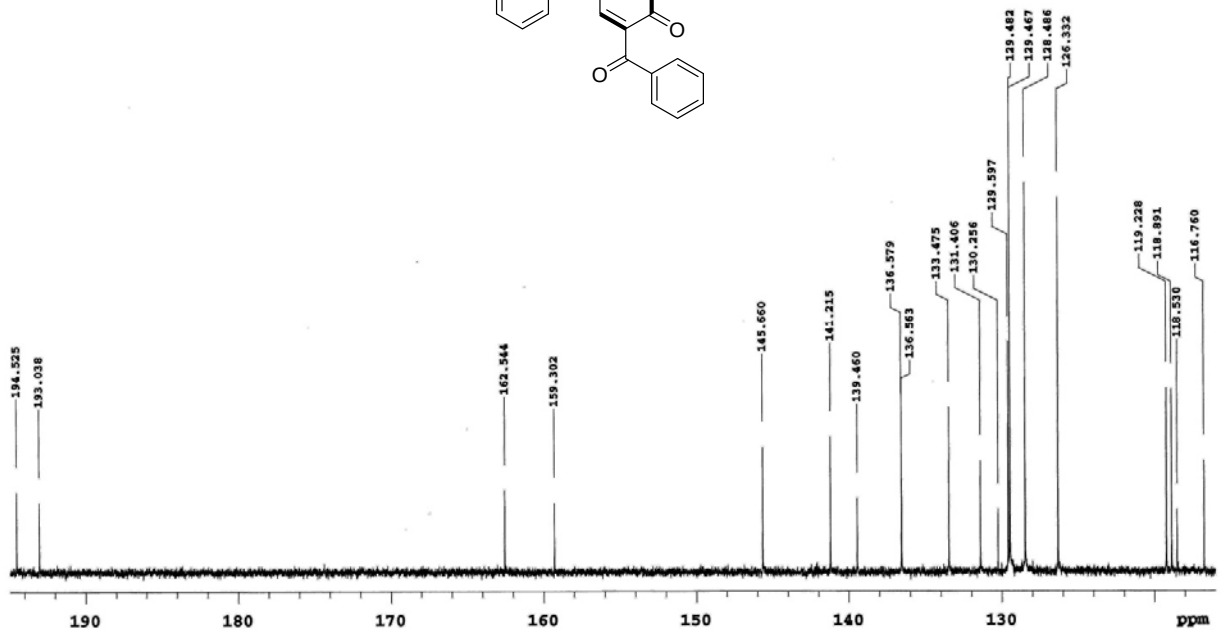
Compound-**4m**

^{1}H-NMR/$CDCl_3$, Expansion



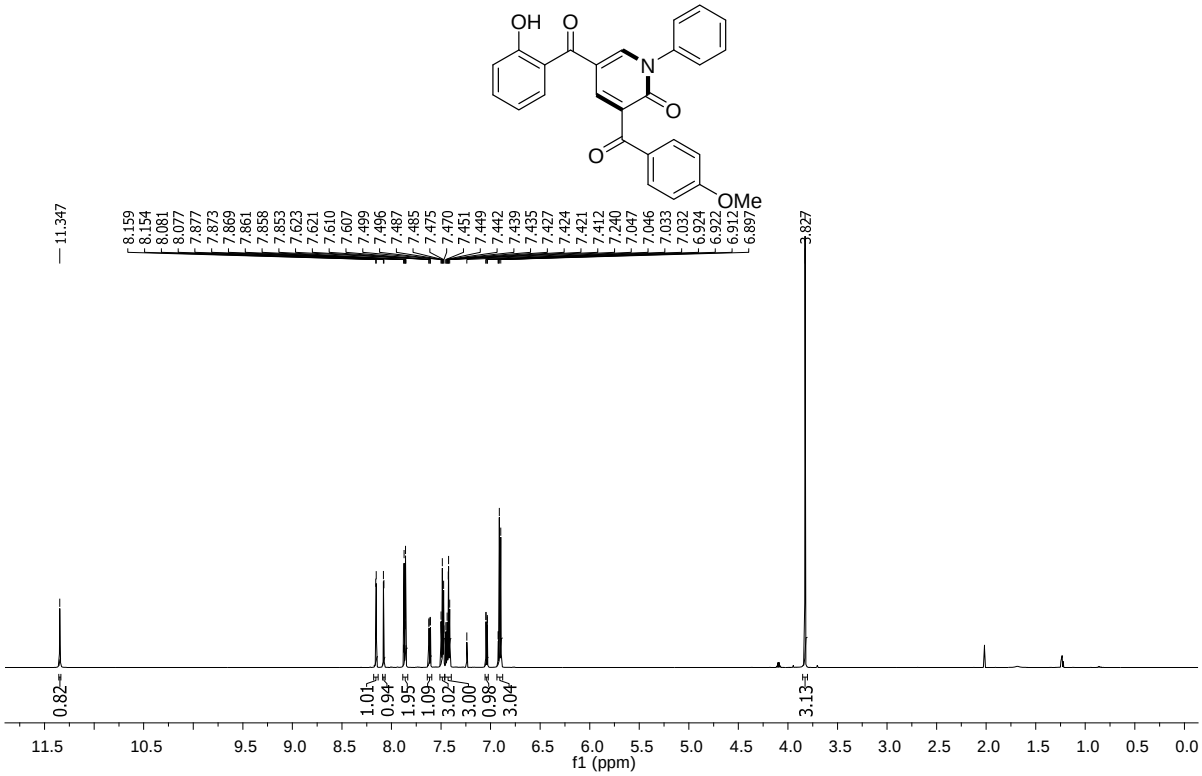
Compound-**4m**

^{13}C-NMR/$CDCl_3$, Expansion



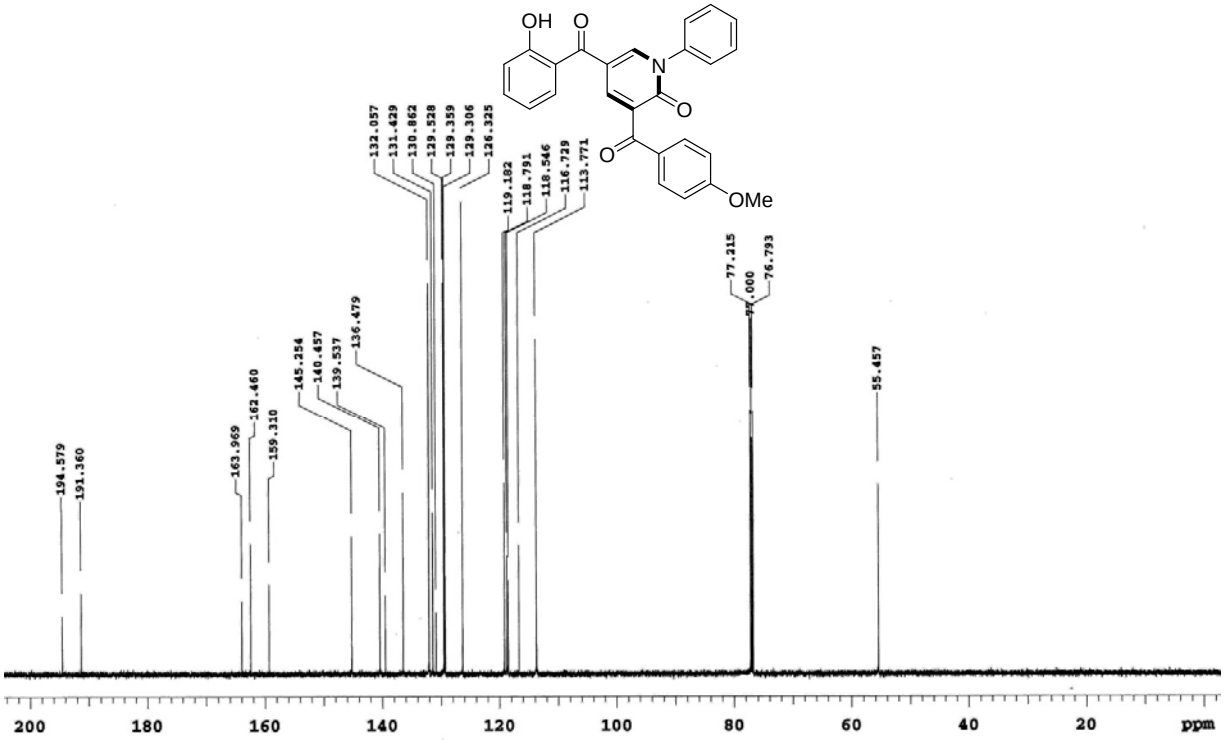
Compound-**4n**

^{1}H-NMR/CDCl$_3$



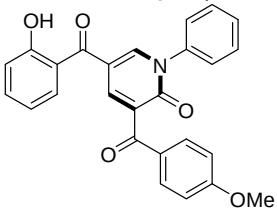
Compound-**4n**

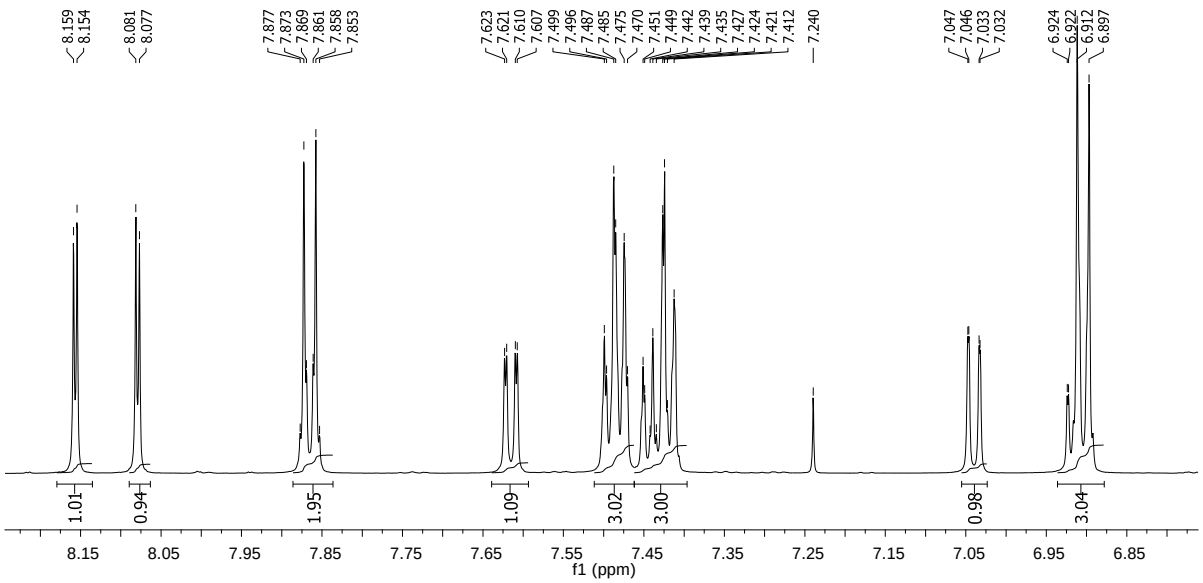
^{13}C-NMR/CDCl$_3$



Compound-**4n**

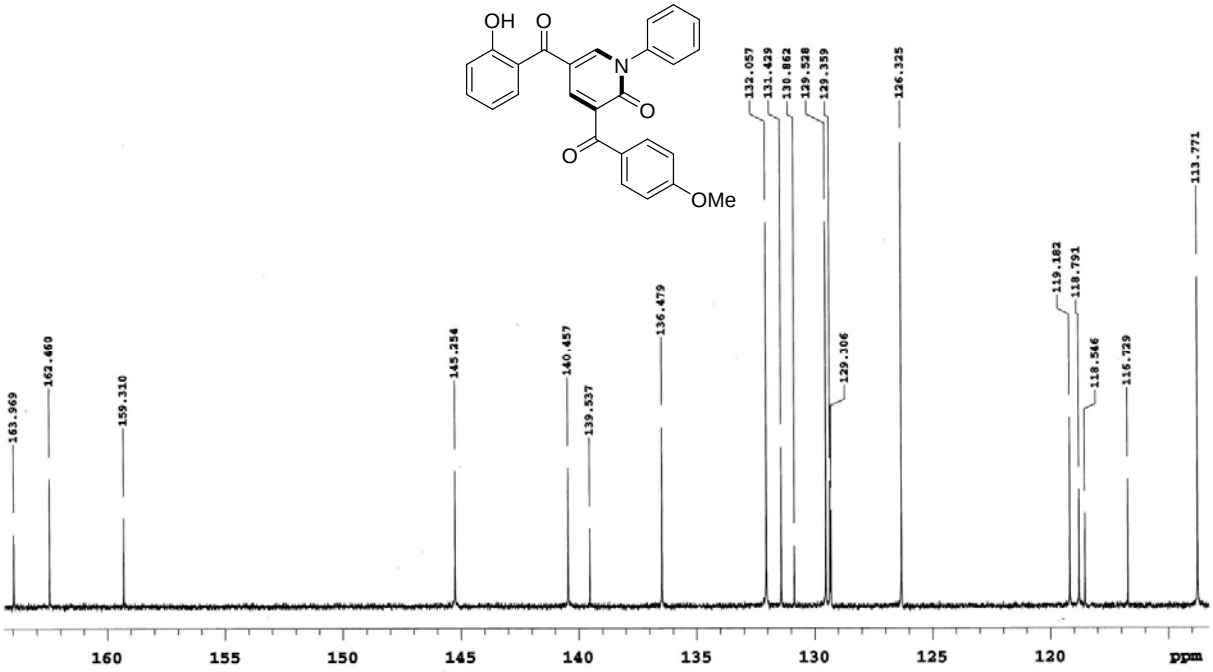
^{1}H-NMR/$CDCl_3$, Expansion





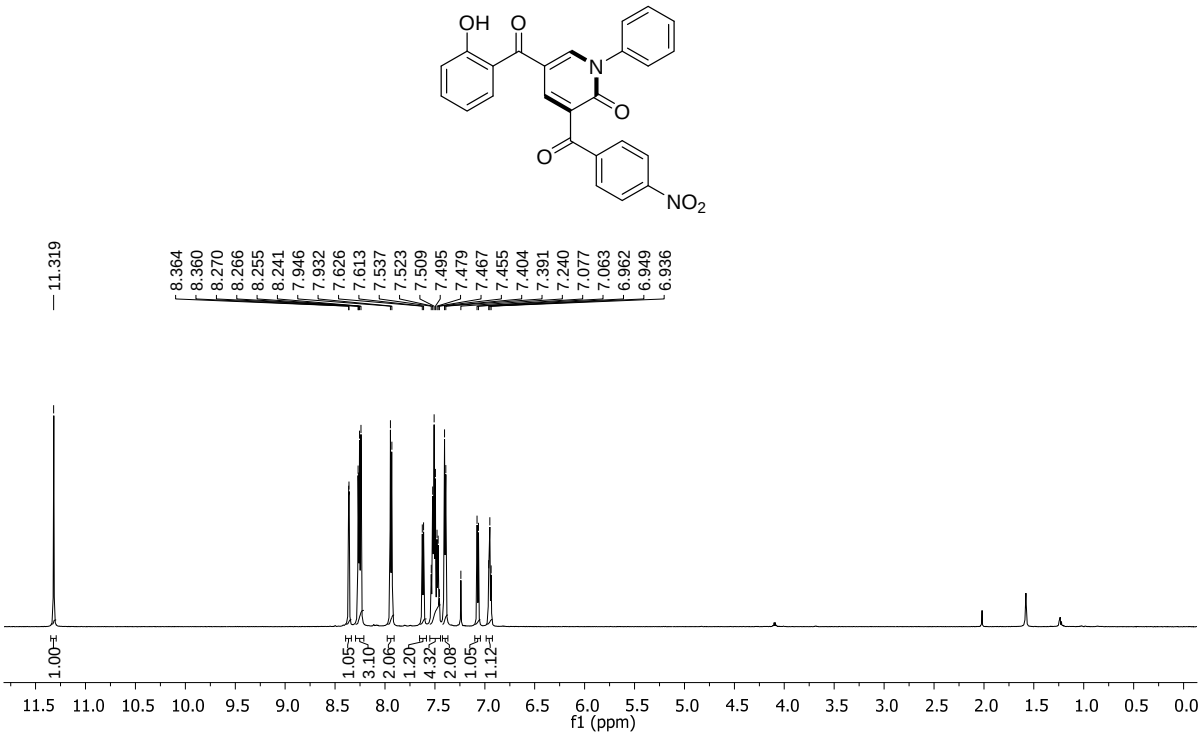
Compound-**4n**

^{13}C-NMR/$CDCl_3$, Expansion



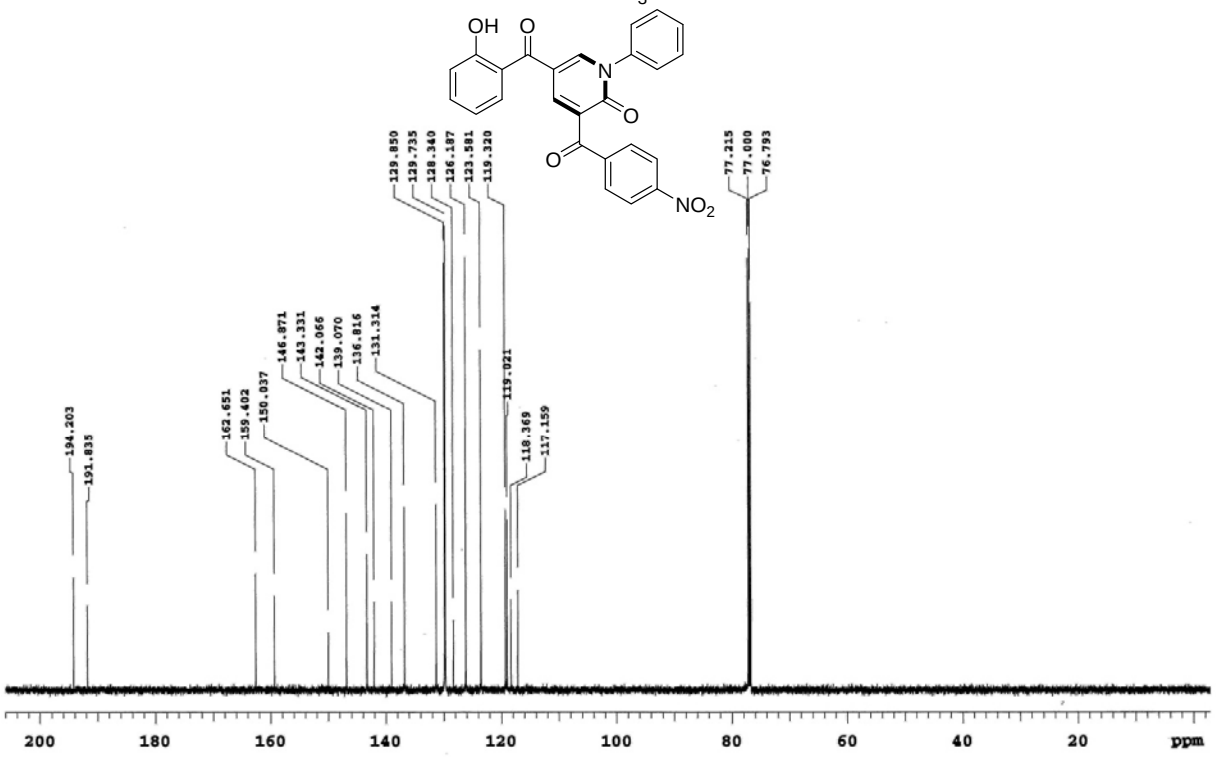
Compound-**4o**

^{1}H-NMR/$CDCl_3$



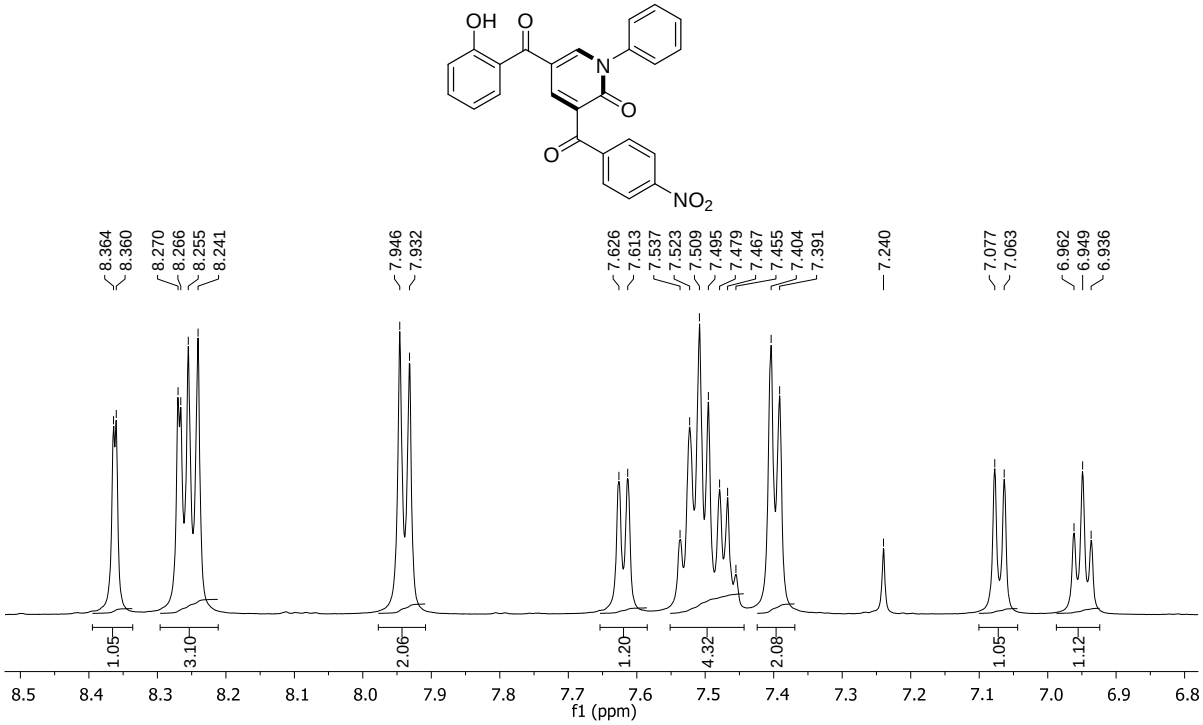
Compound-**4o**

^{13}C-NMR/$CDCl_3$



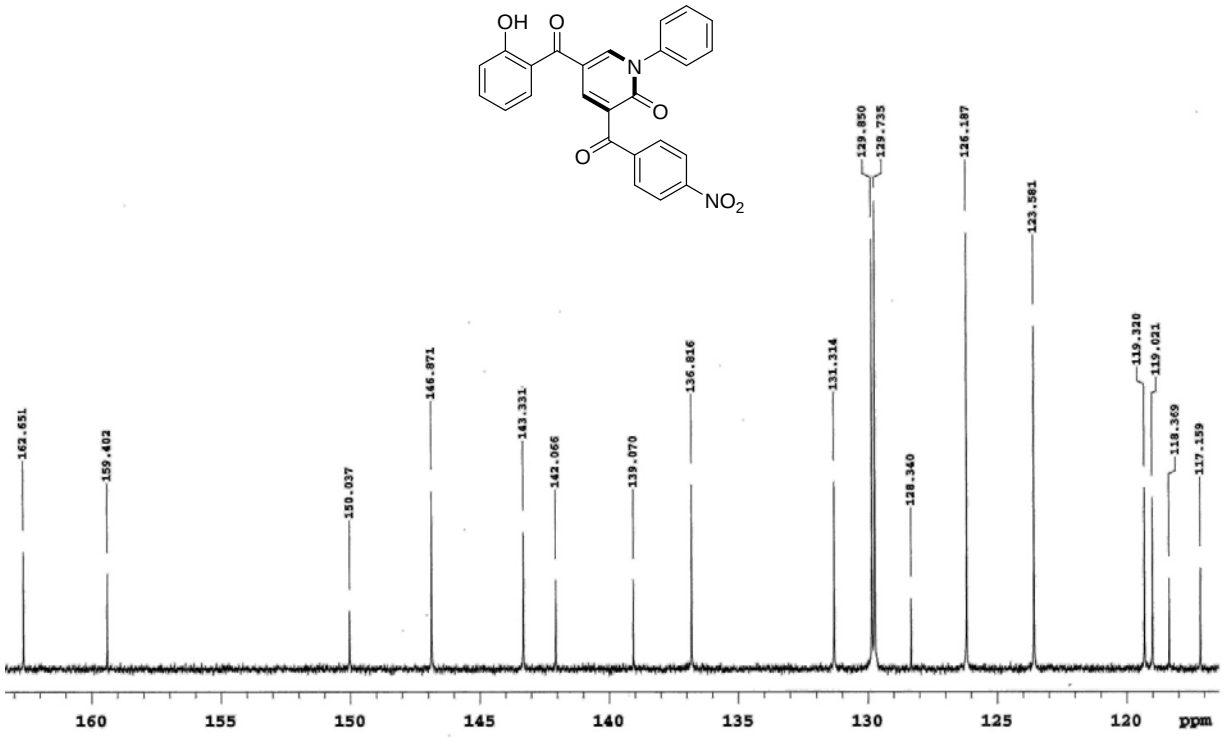
Compound-**4o**

^{1}H-NMR/$CDCl_3$, Expansion



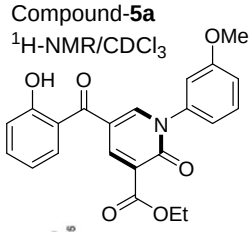
Compound-**4o**

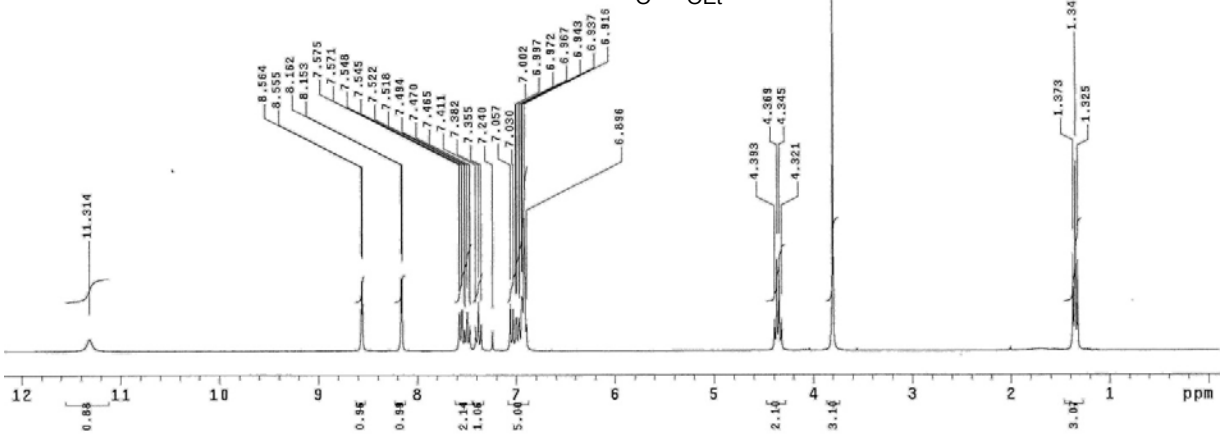
^{13}C-NMR/$CDCl_3$, Expansion



Compound-**5a**

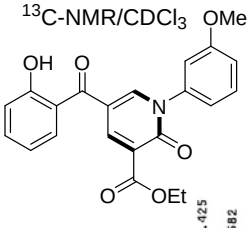
^{1}H-NMR/$CDCl_3$

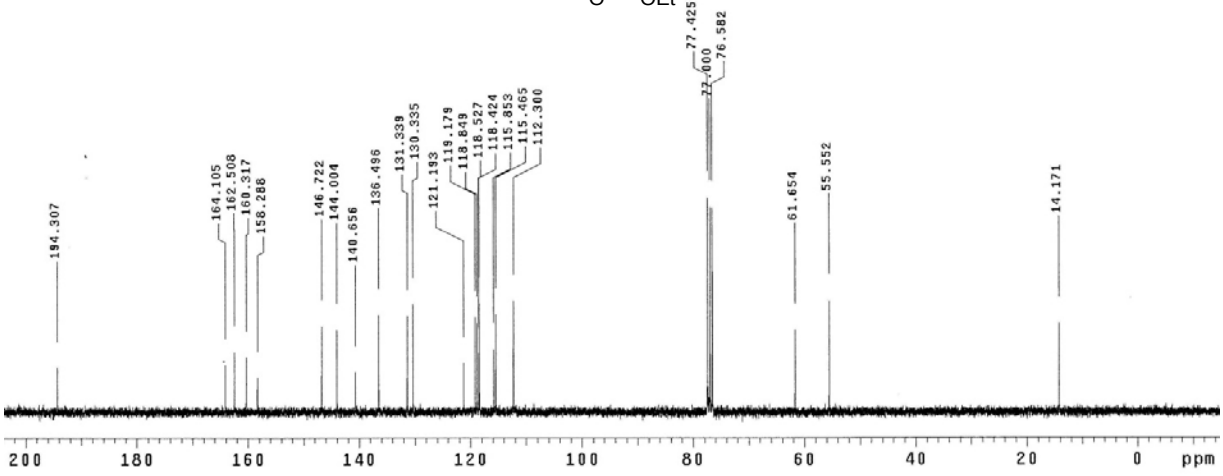




Compound-**5a**

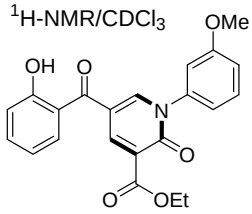
^{13}C-NMR/$CDCl_3$

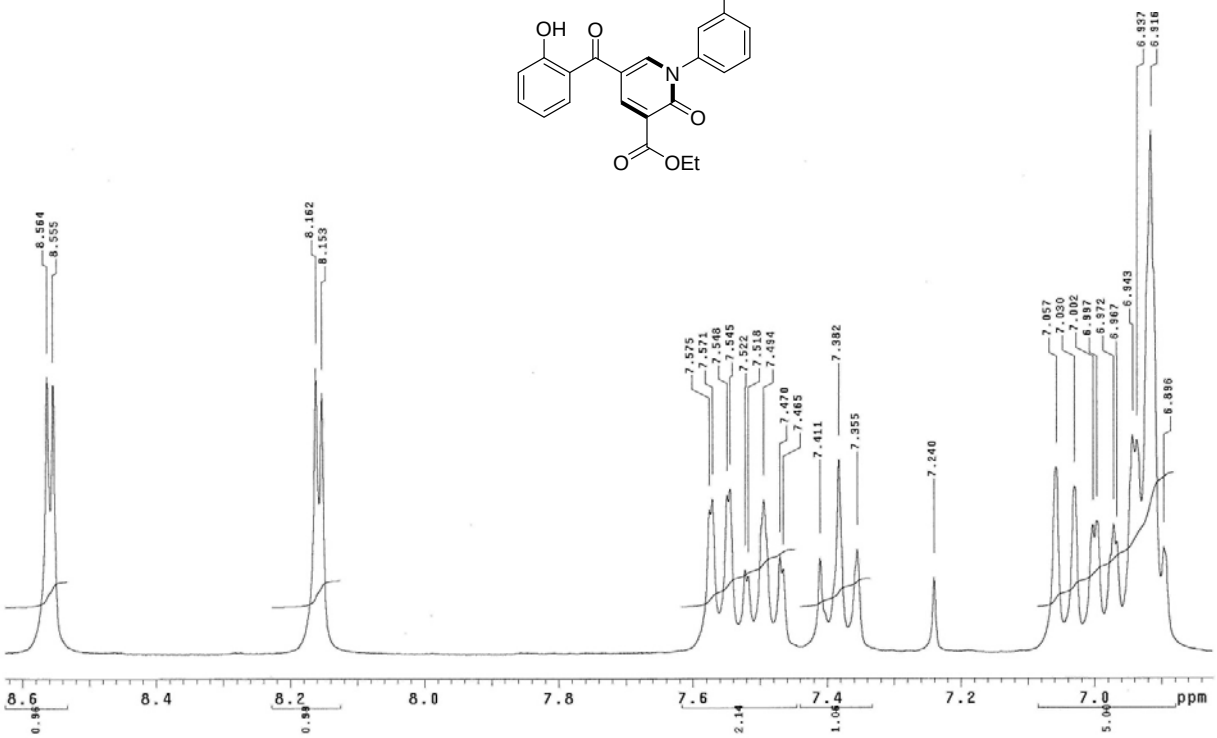




Compound-**5a**/ Expansion

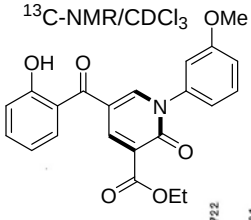
^{1}H-NMR/$CDCl_3$

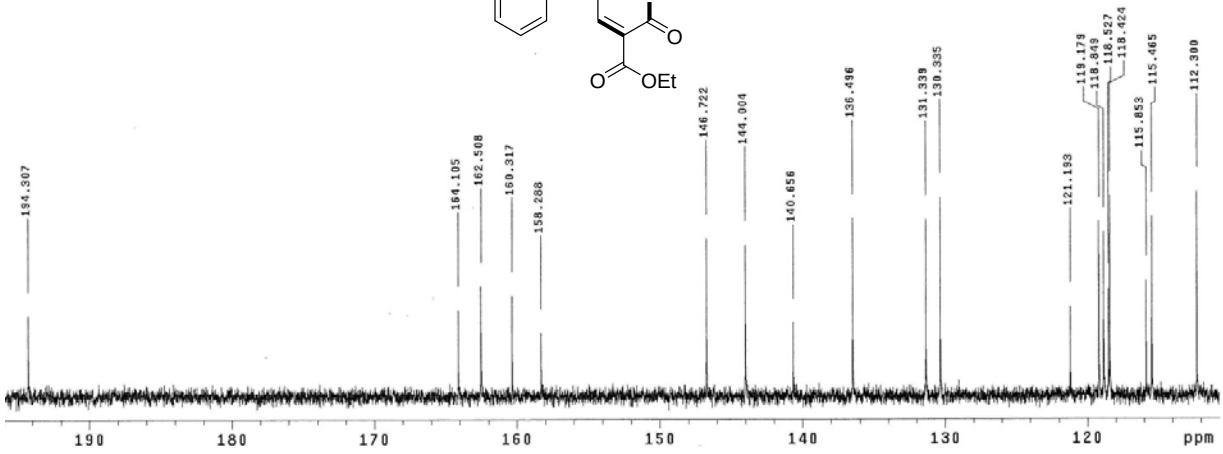




Compound-**5a**/ Expansion

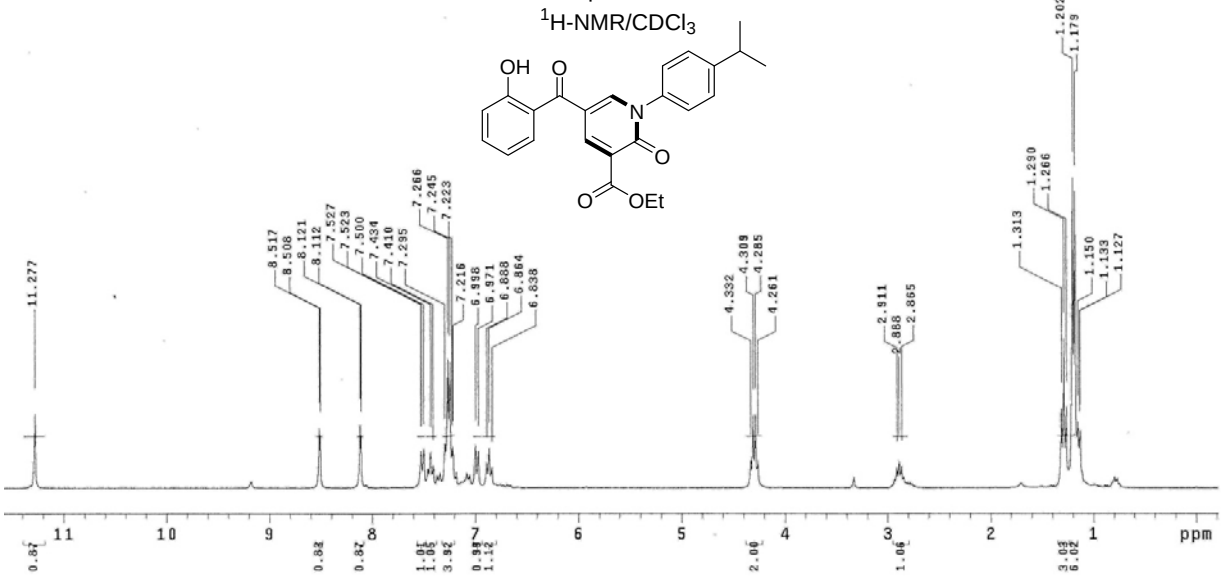
^{13}C-NMR/$CDCl_3$





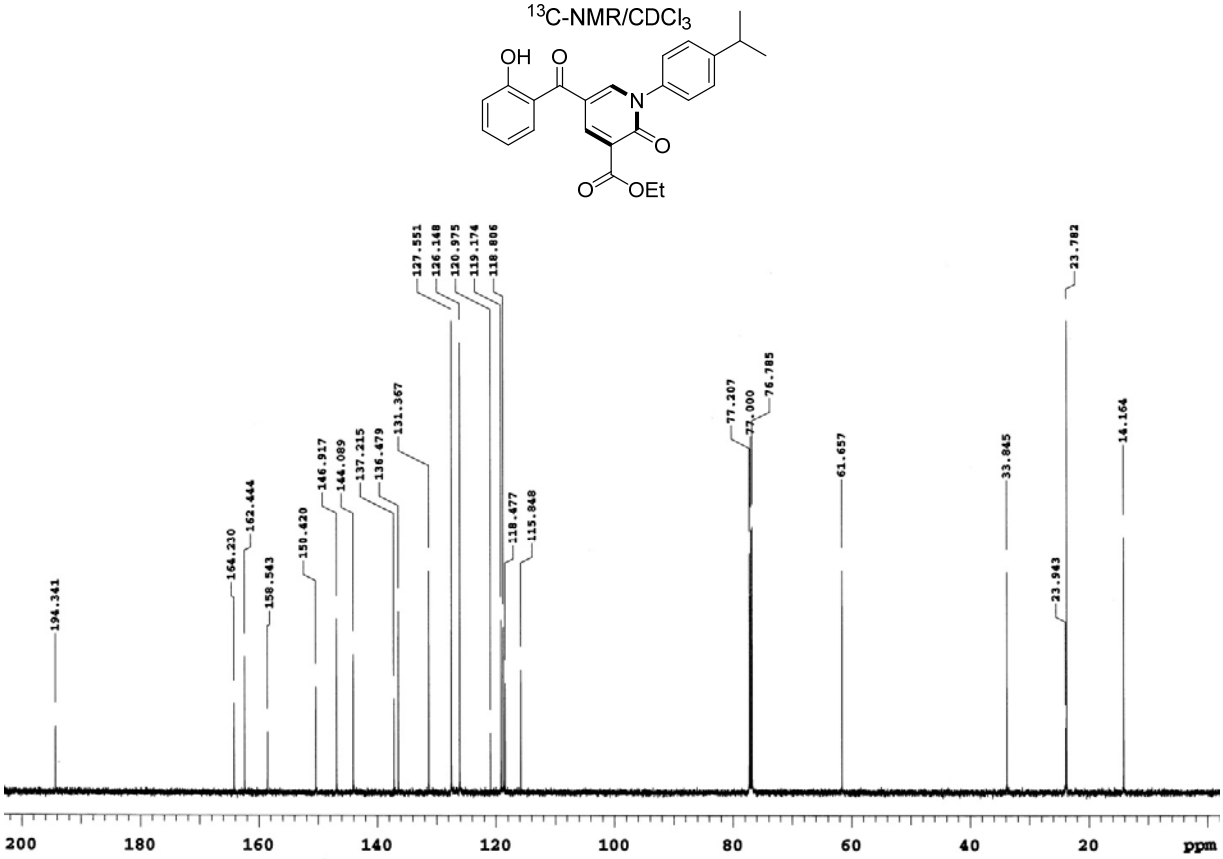
Compound-**5b**

^{1}H-NMR/CDCl$_3$



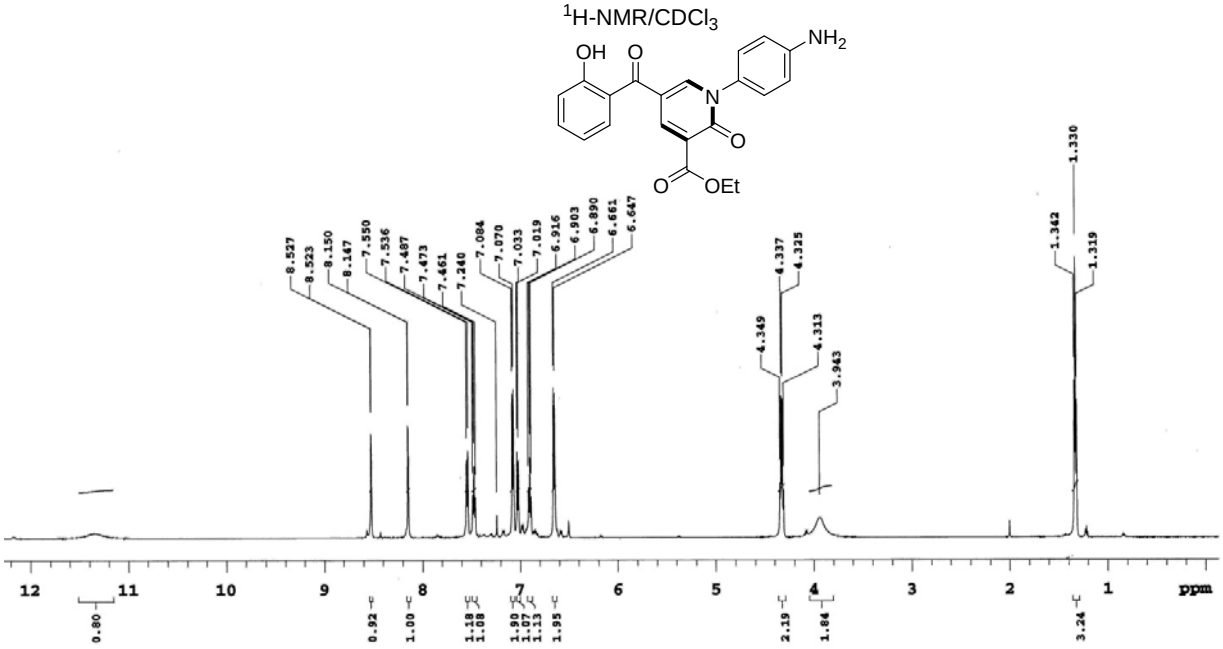
Compound-**5b**

^{13}C-NMR/CDCl$_3$



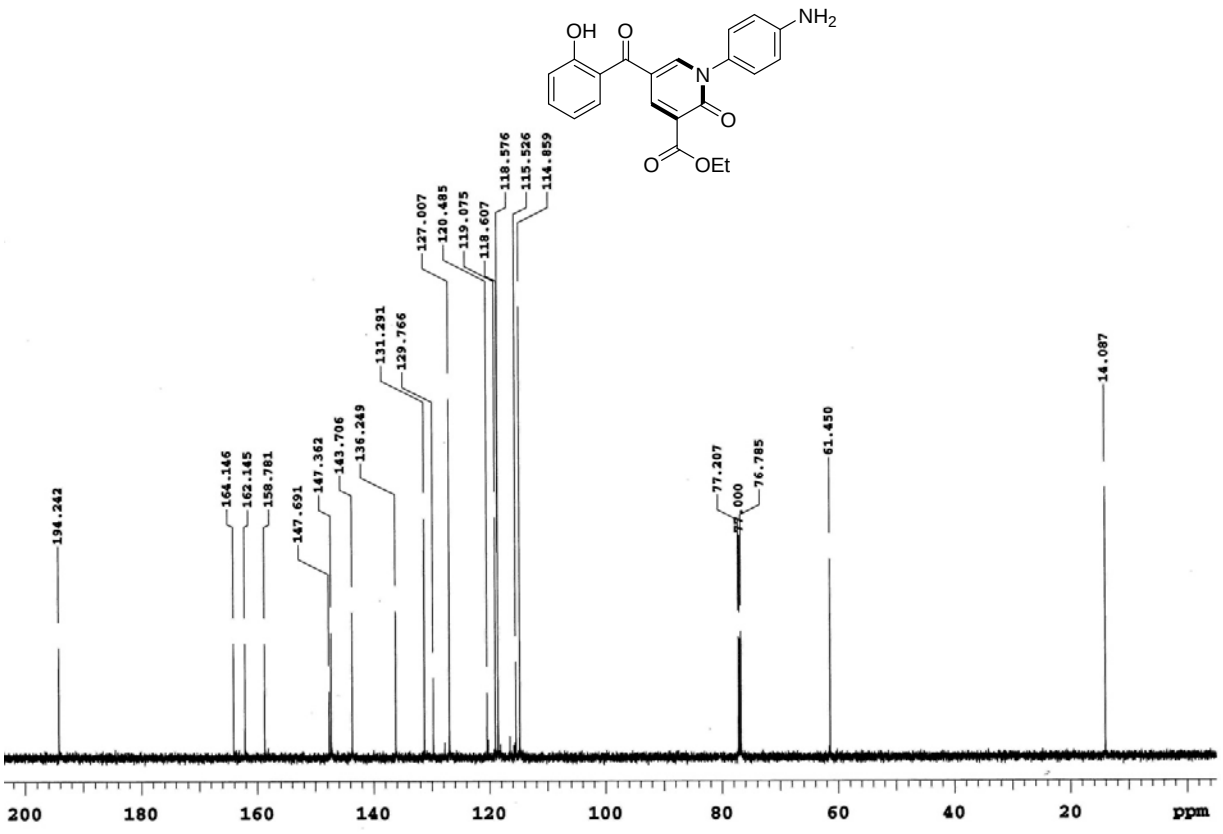
Compound-**5c**

^{1}H-NMR/$CDCl_3$



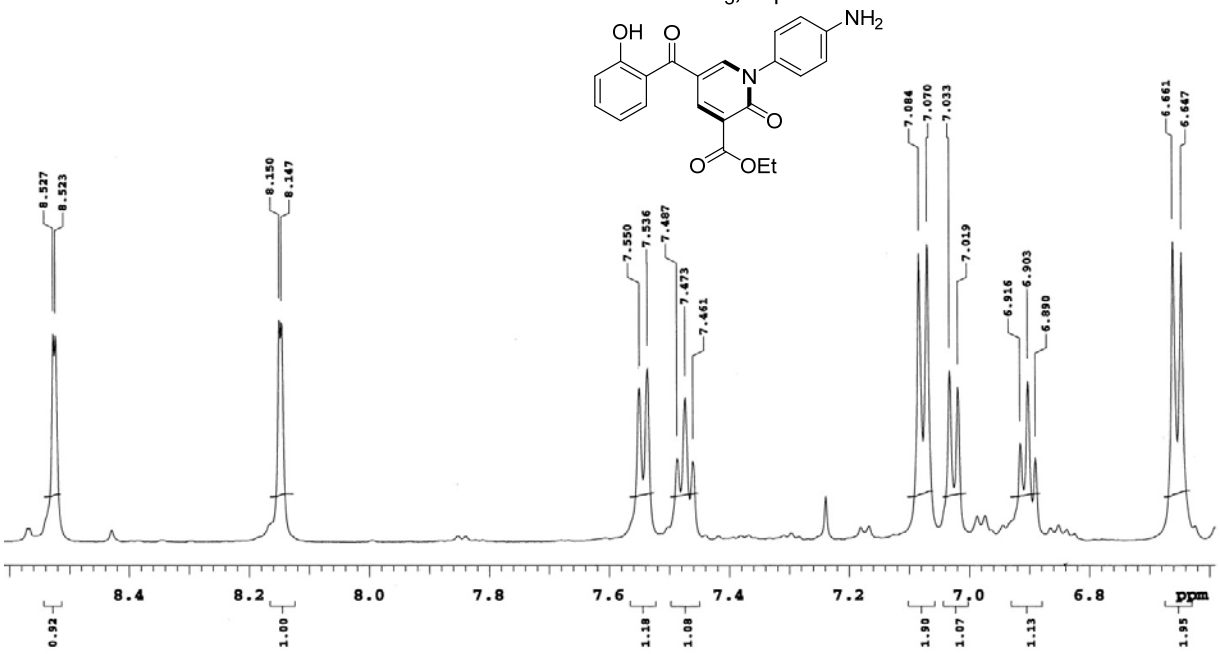
Compound-**5c**

^{13}C-NMR/$CDCl_3$



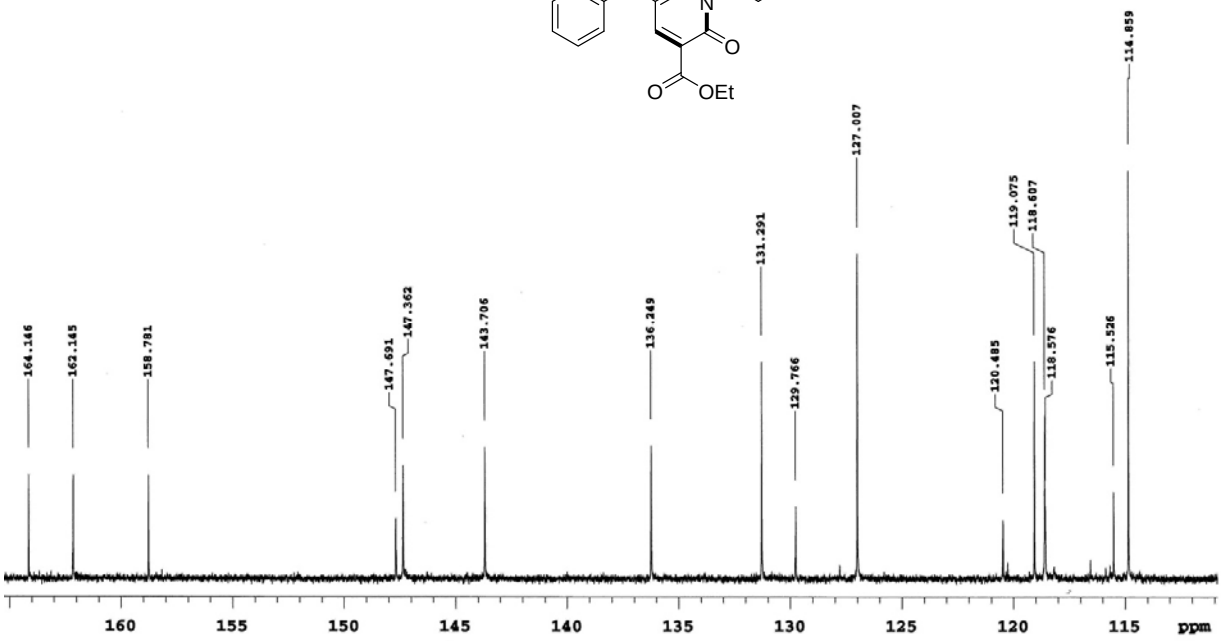
Compound-**5c**

^{1}H-NMR/$CDCl_3$, Expansion



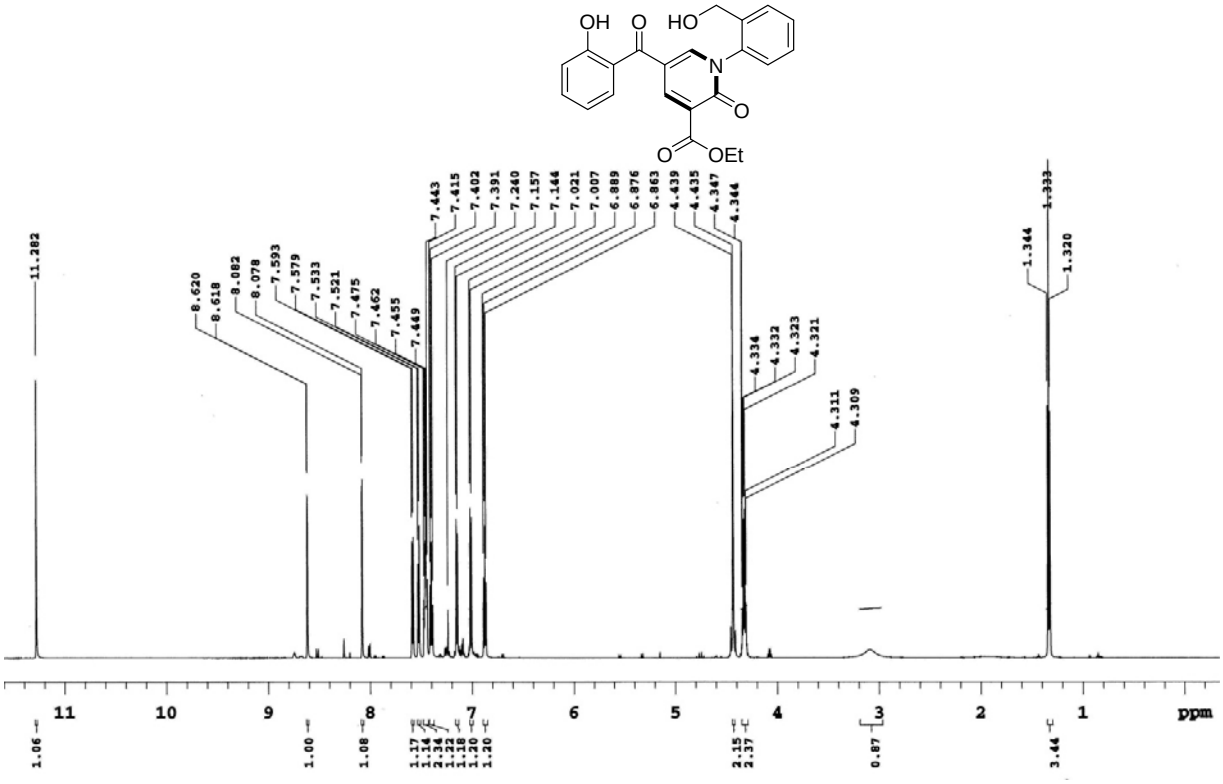
Compound-**5c**

^{13}C-NMR/$CDCl_3$, Expansion



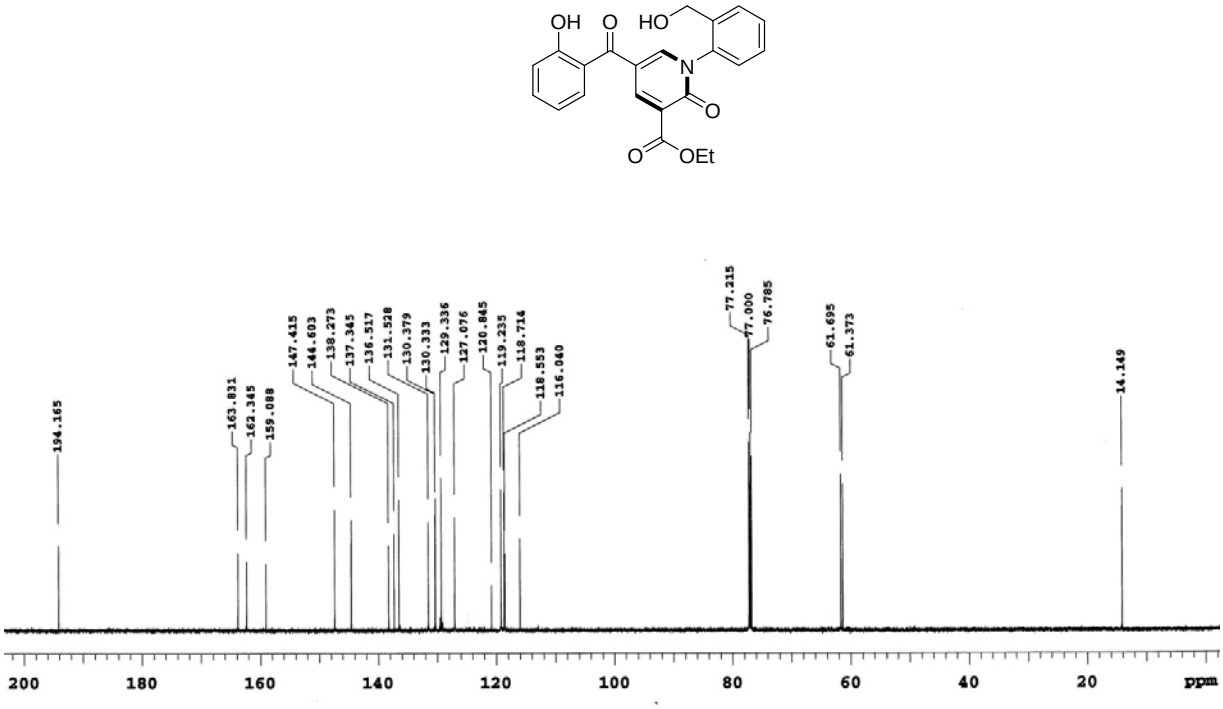
Compound-**5d**

^{1}H-NMR/$CDCl_3$



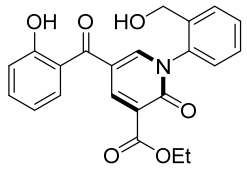
Compound-**5d**

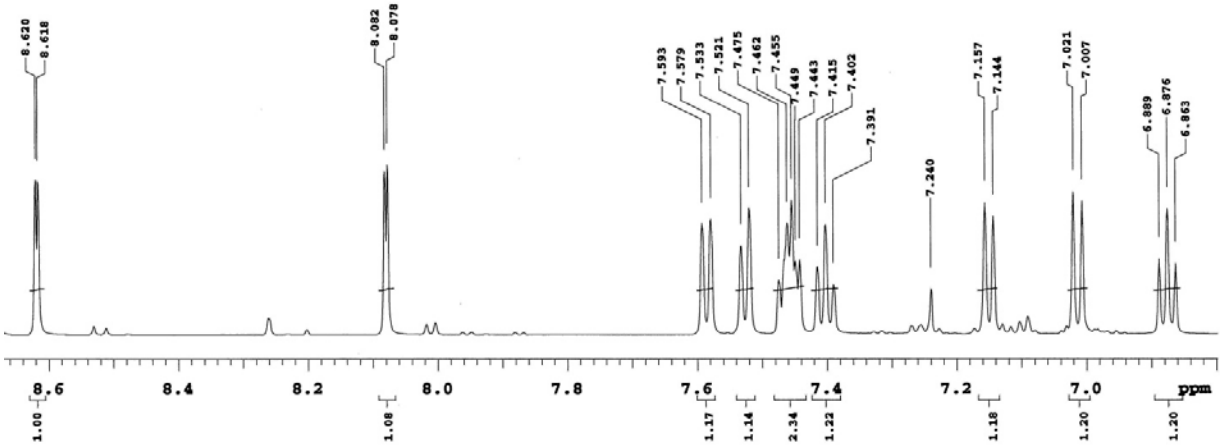
^{13}C-NMR/$CDCl_3$



Compound-**5d**

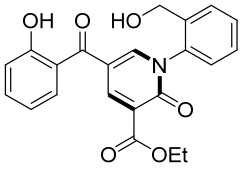
^{1}H-NMR/$CDCl_3$, Expansion

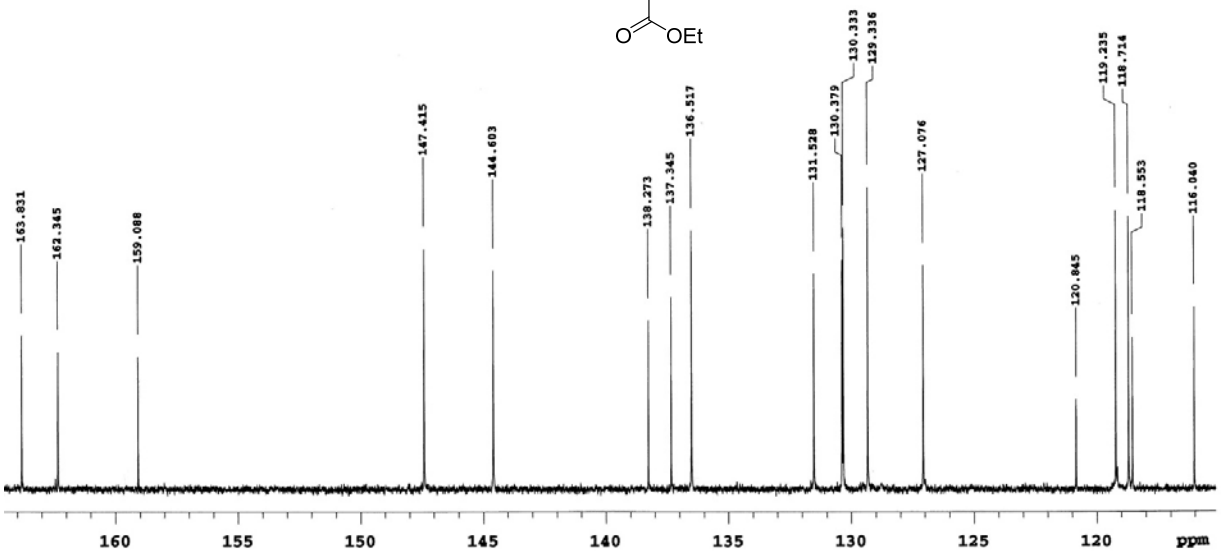




Compound-**5d**

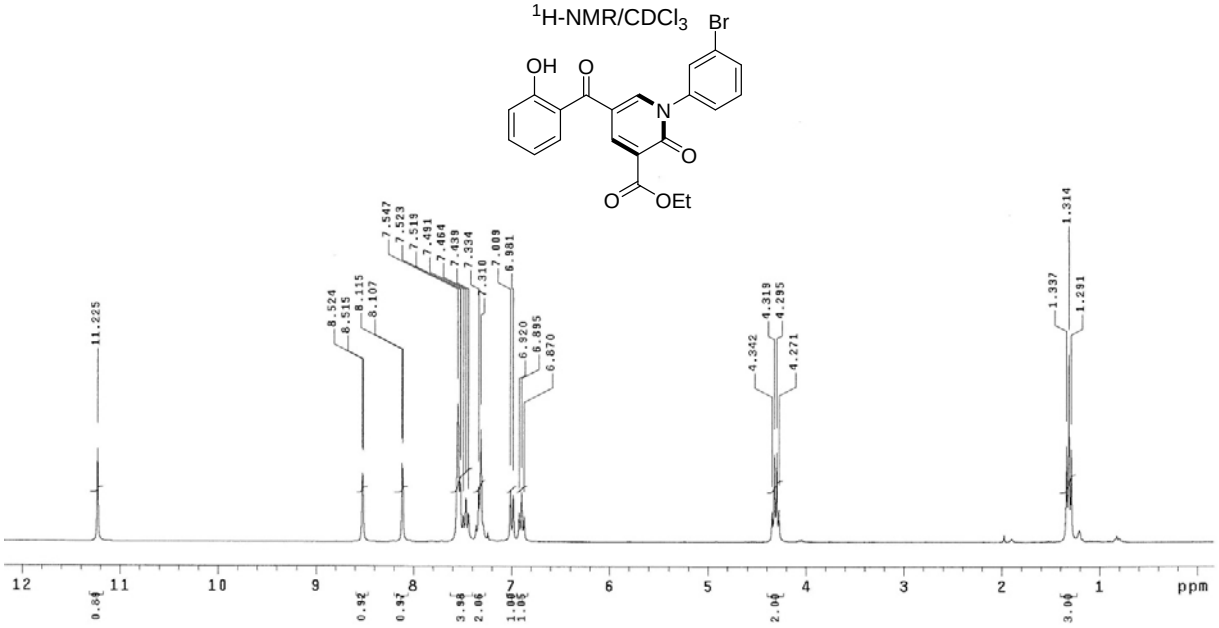
^{13}C-NMR/$CDCl_3$, Expansion





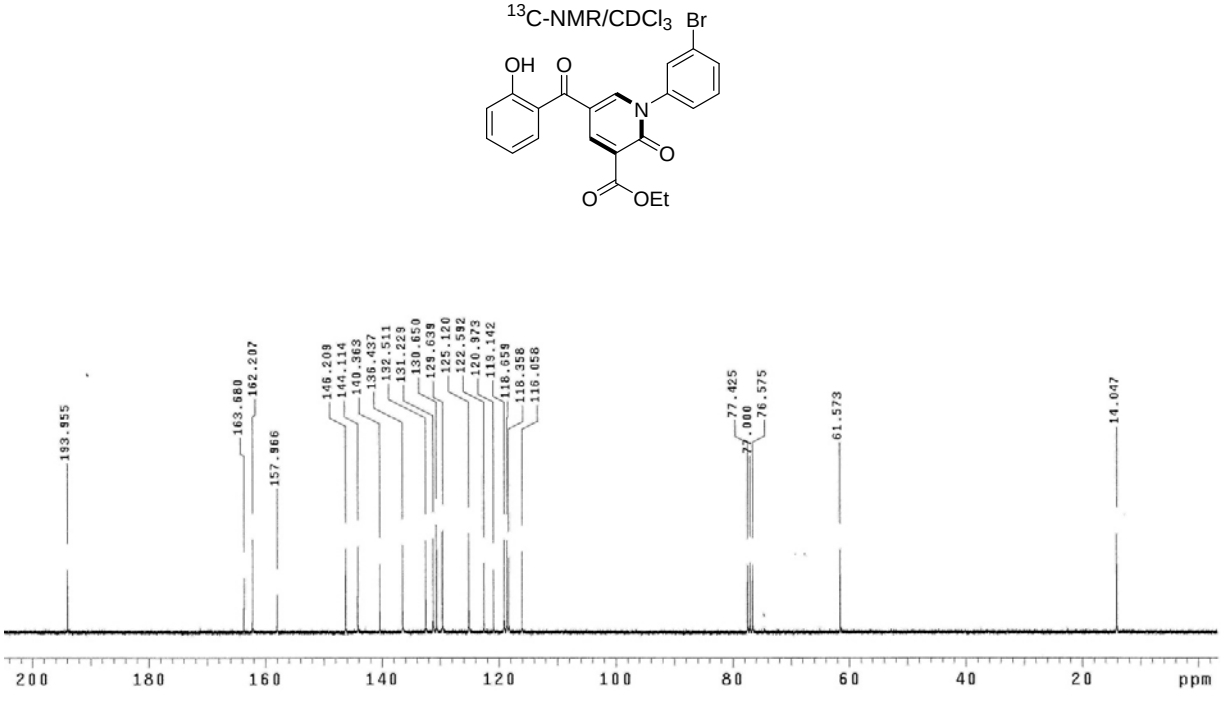
Compound-**5e**

^{1}H-NMR/$CDCl_3$



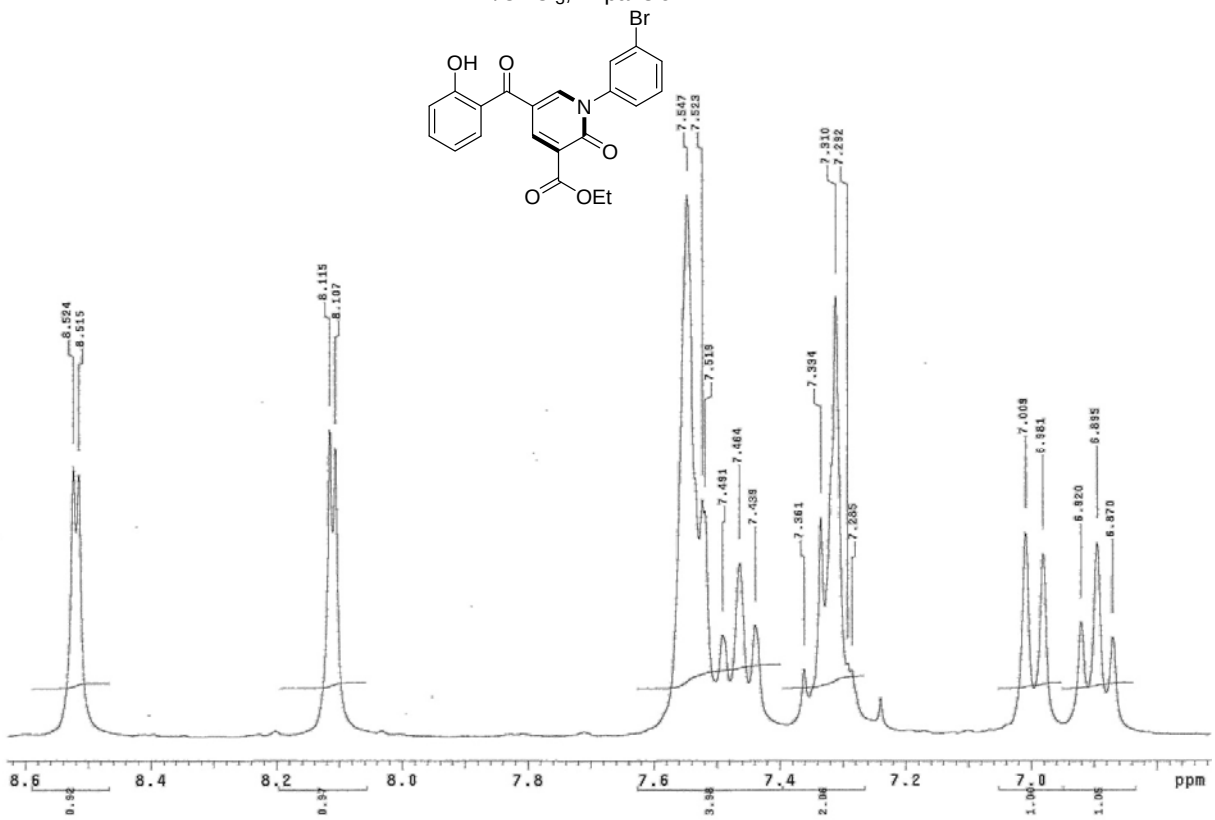
Compound-**5e**

^{13}C-NMR/$CDCl_3$



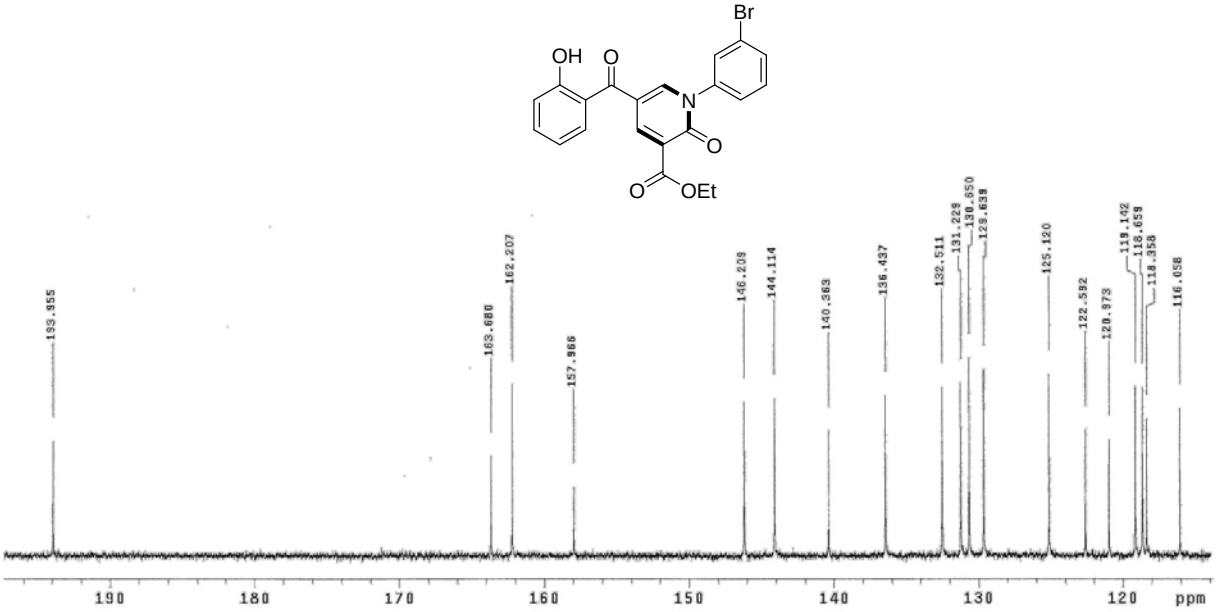
Compound-**5e**

^{1}H-NMR/$CDCl_3$, Expansion



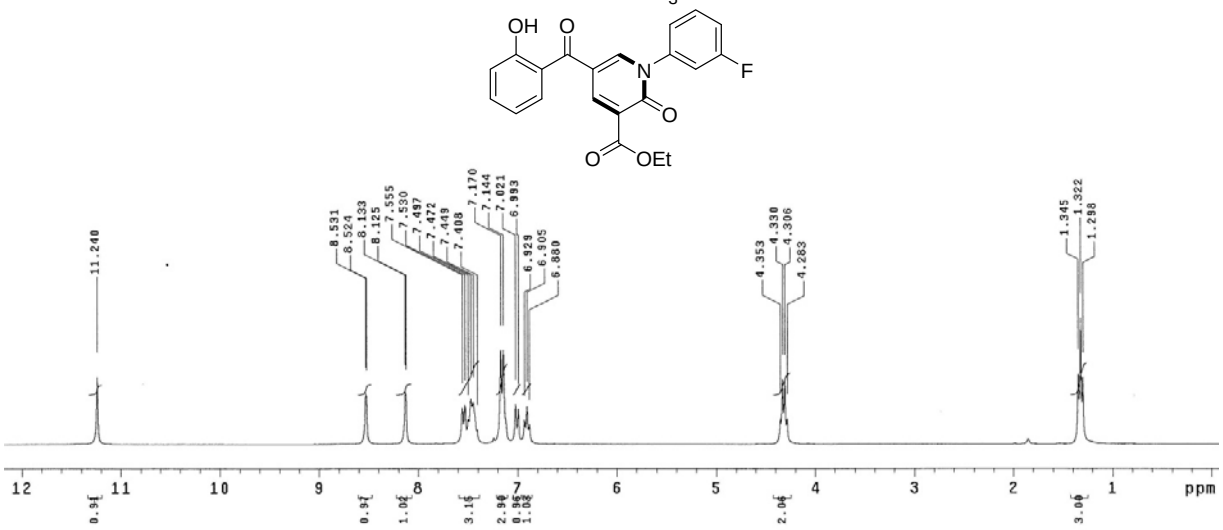
Compound-**5e**

^{13}C-NMR/$CDCl_3$, Expansion



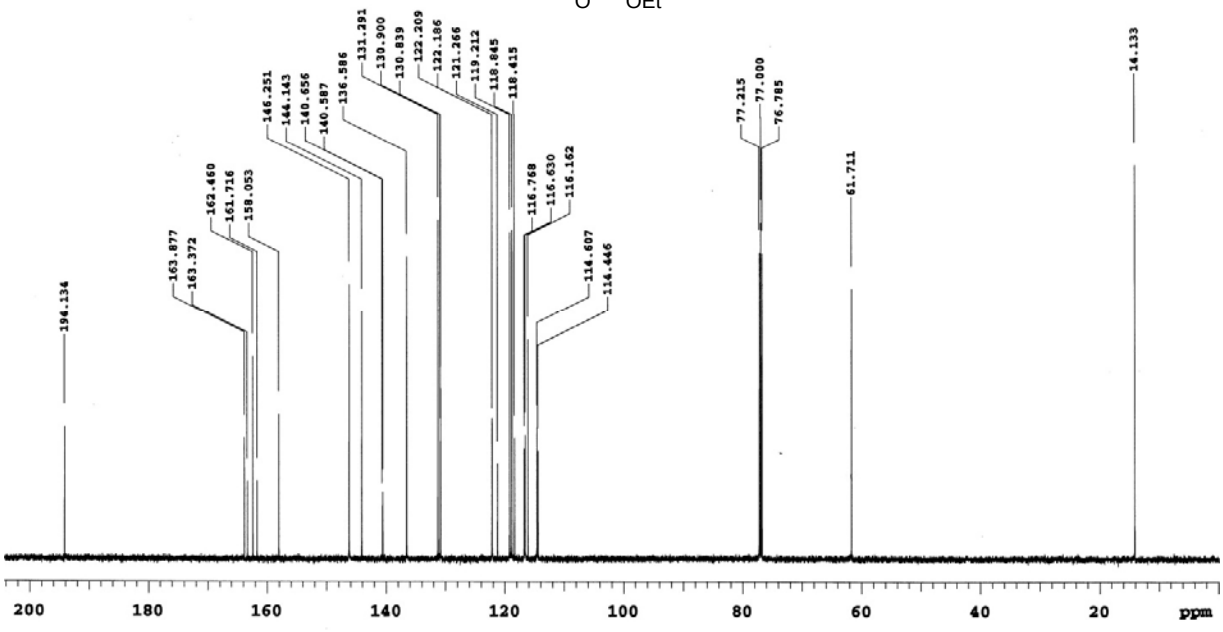
Compound-**5f**

^{1}H-NMR/$CDCl_3$



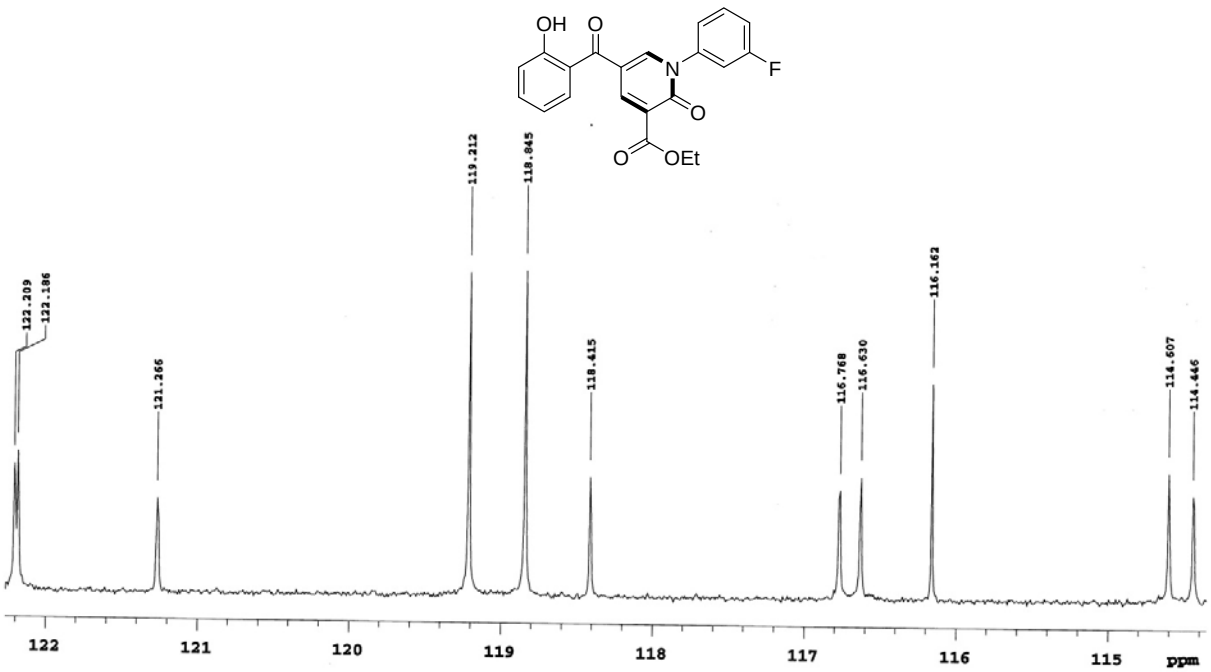
Compound-**5f**

^{13}C-NMR/$CDCl_3$



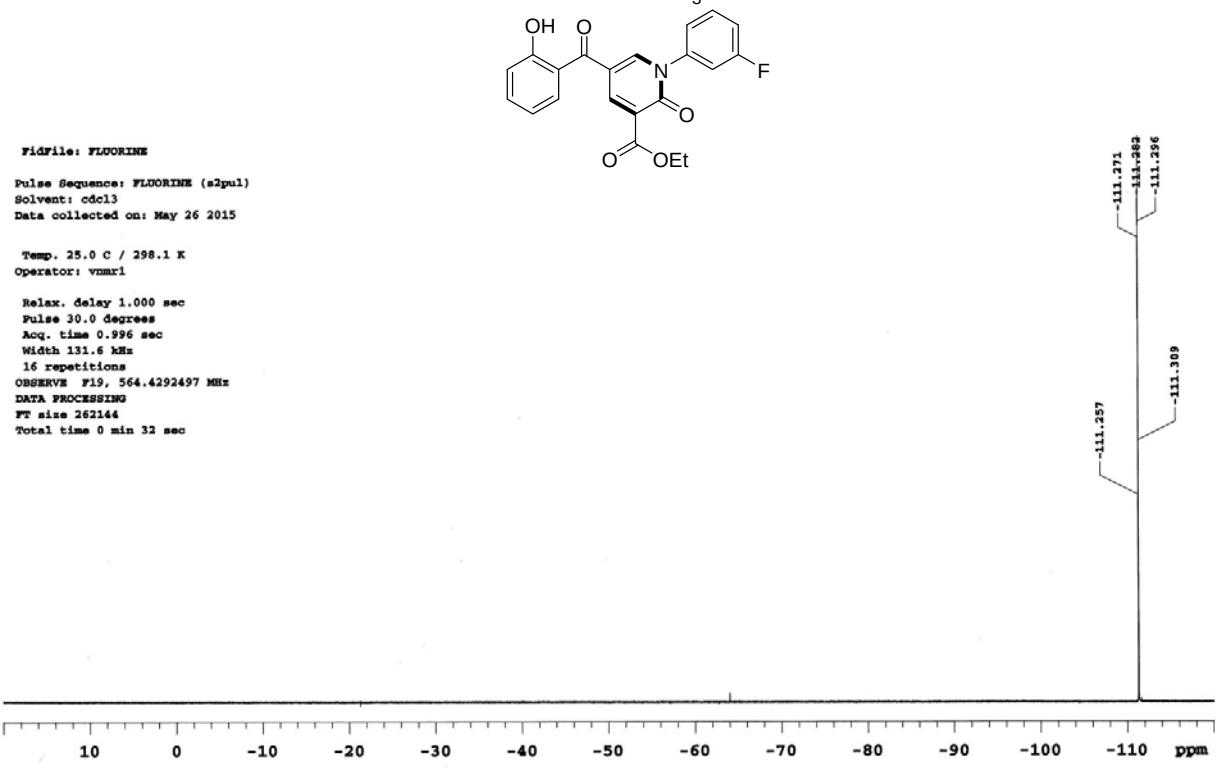
Compound-**5f**

^{13}C-NMR/$CDCl_3$, Expansion



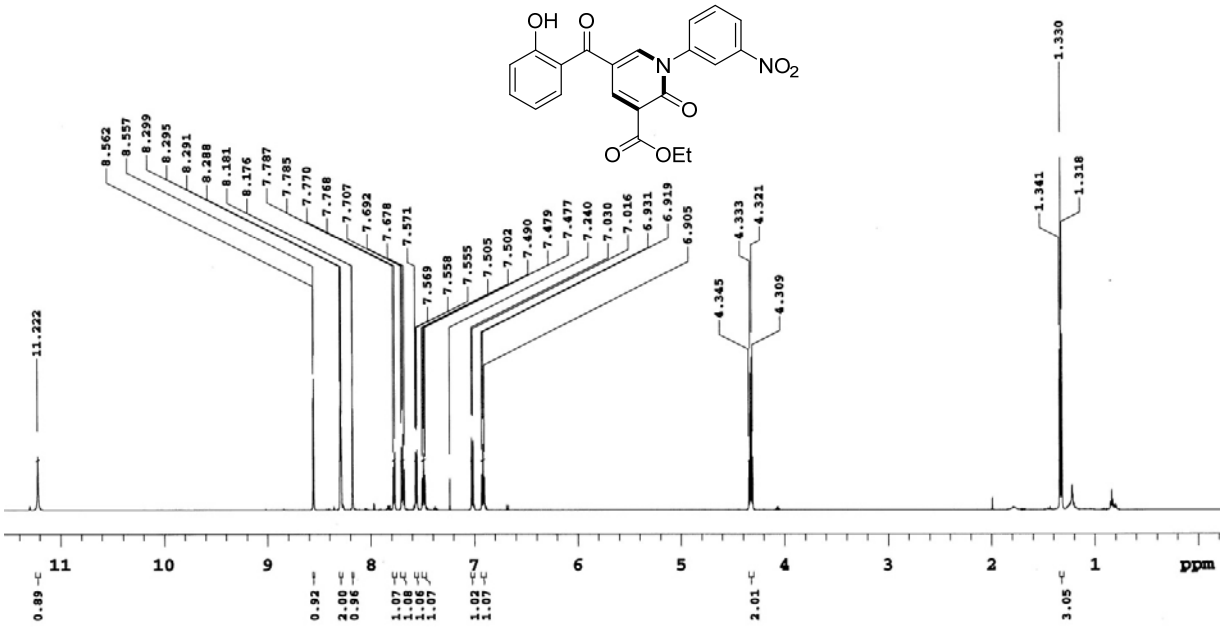
Compound-**5f**

^{19}F-NMR/$CDCl_3$



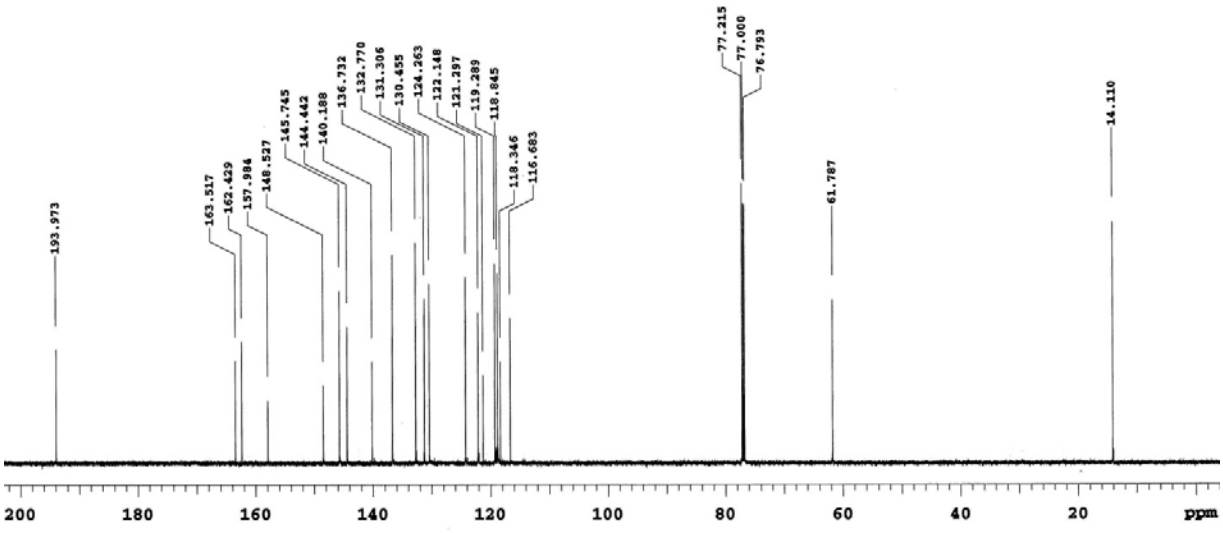
Compound-**5g**

^{1}H-NMR/$CDCl_3$



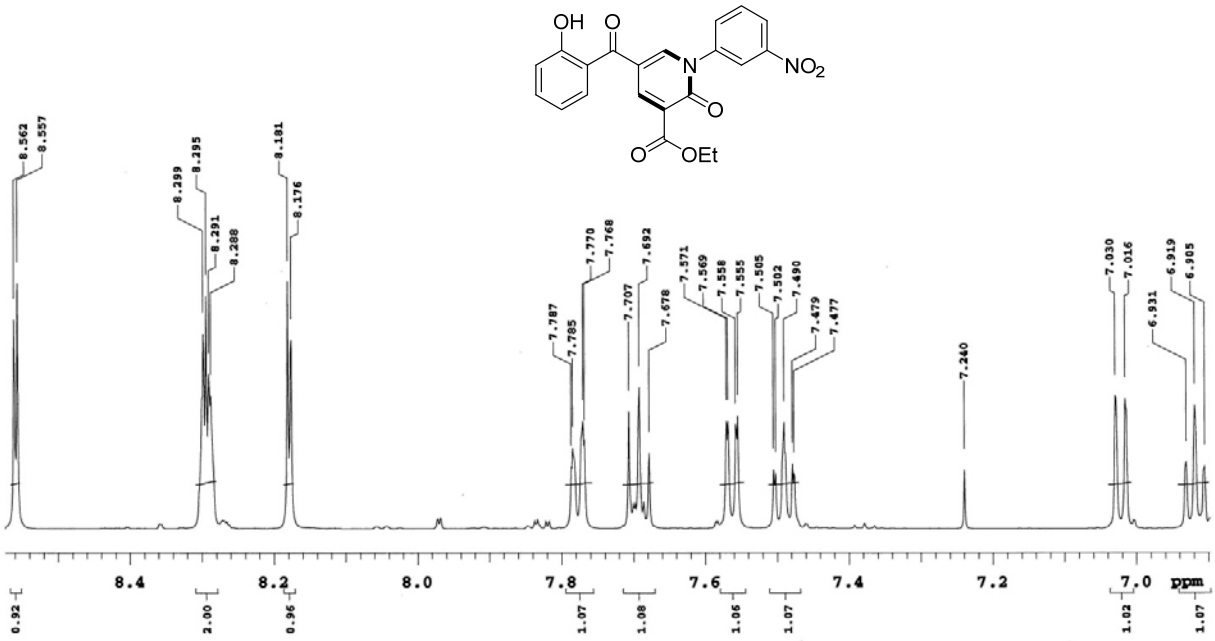
Compound-**5g**

^{13}C-NMR/$CDCl_3$



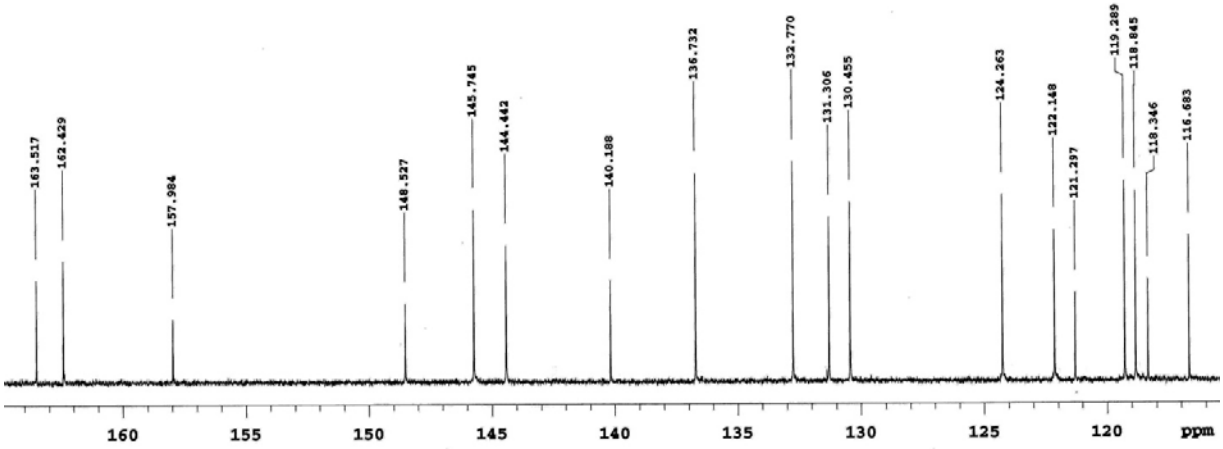
Compound-**5g**

^{1}H-NMR/$CDCl_3$, Expansion



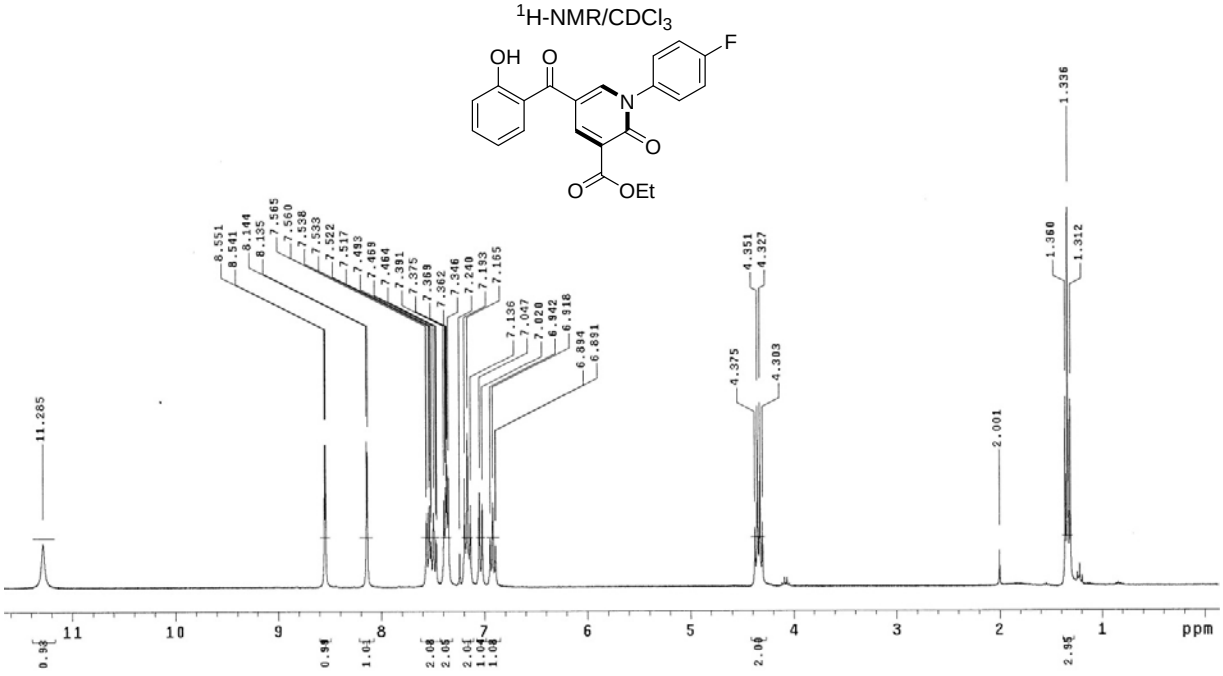
Compound-**5g**

^{13}C-NMR/$CDCl_3$, Expansion



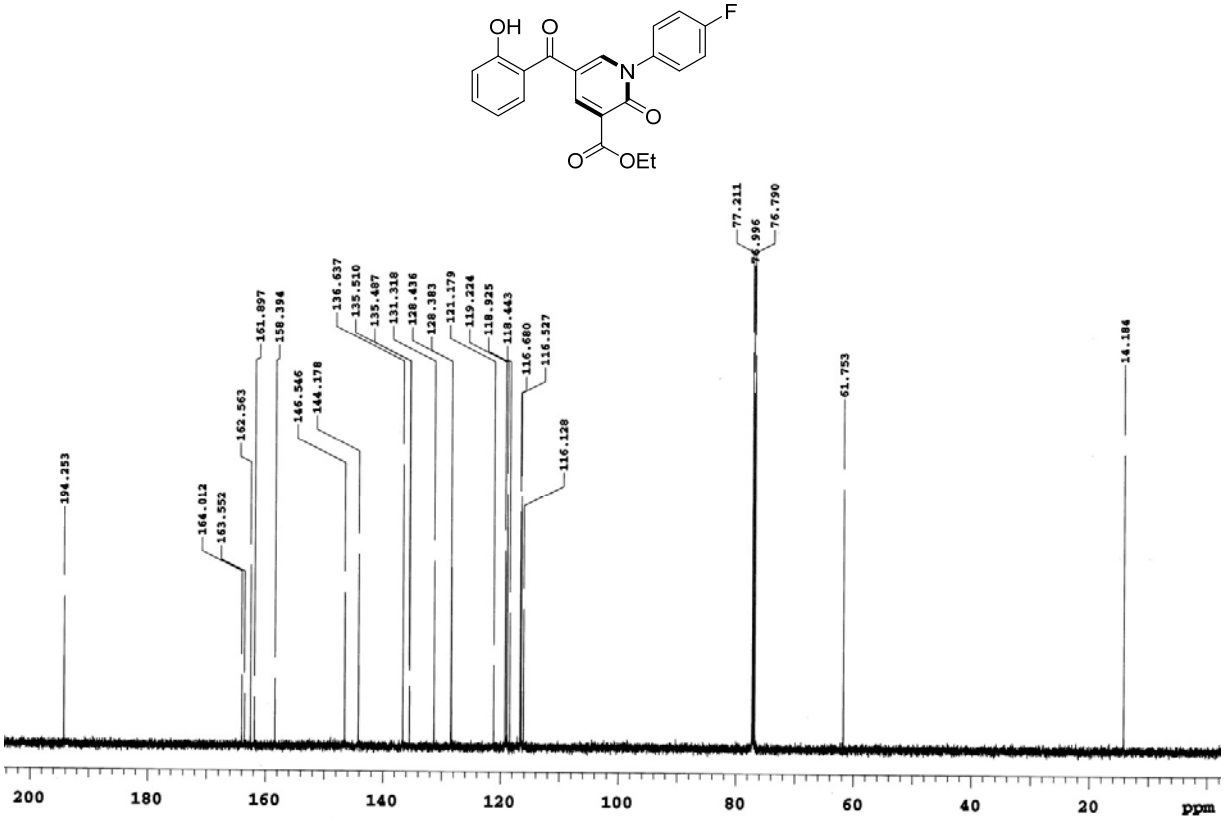
Compound-**5h**

^{1}H-NMR/$CDCl_3$



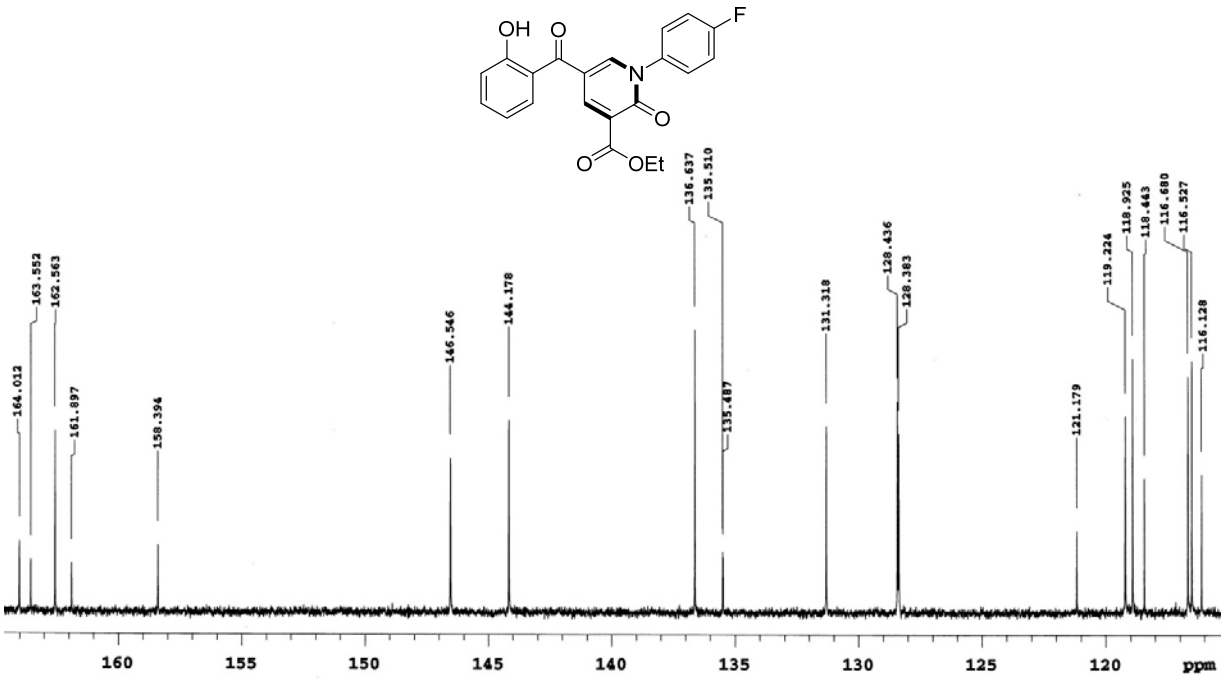
Compound-**5h**

^{13}C-NMR/$CDCl_3$



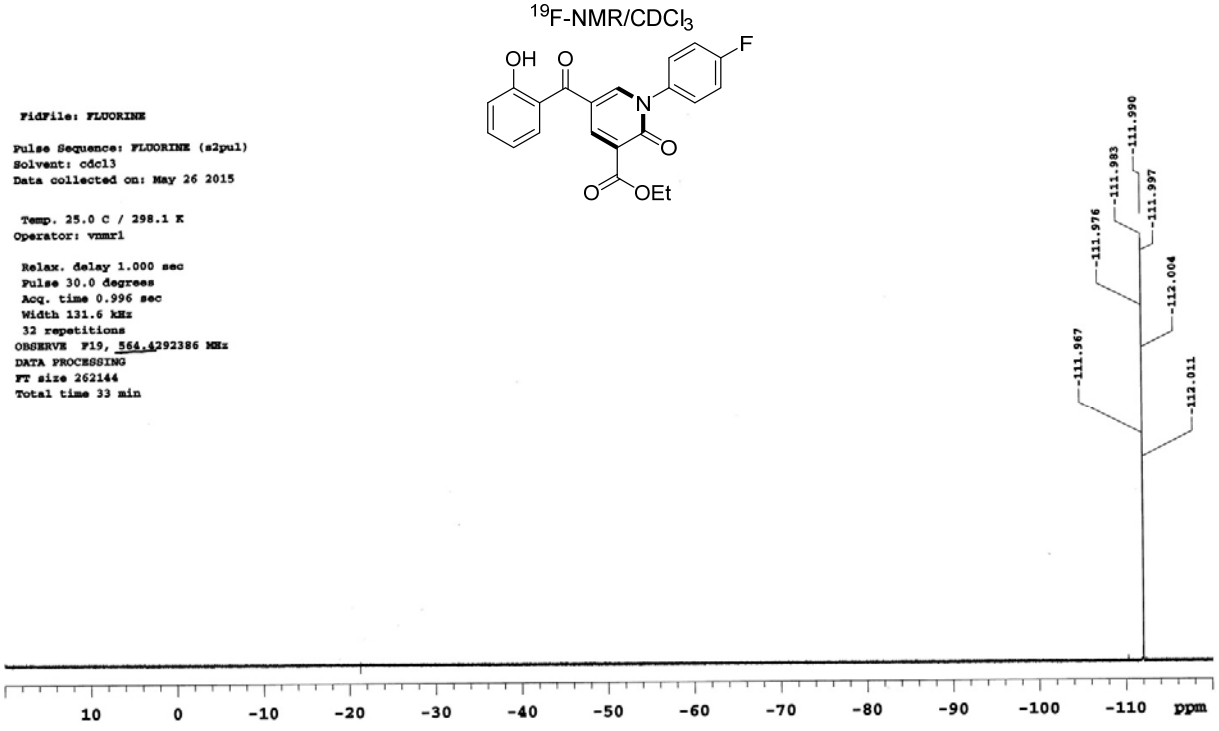
Compound-**5h**

^{13}C-NMR/$CDCl_3$, Expansion



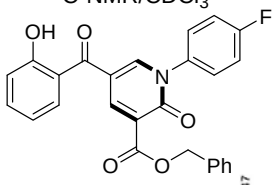
Compound-**5h**

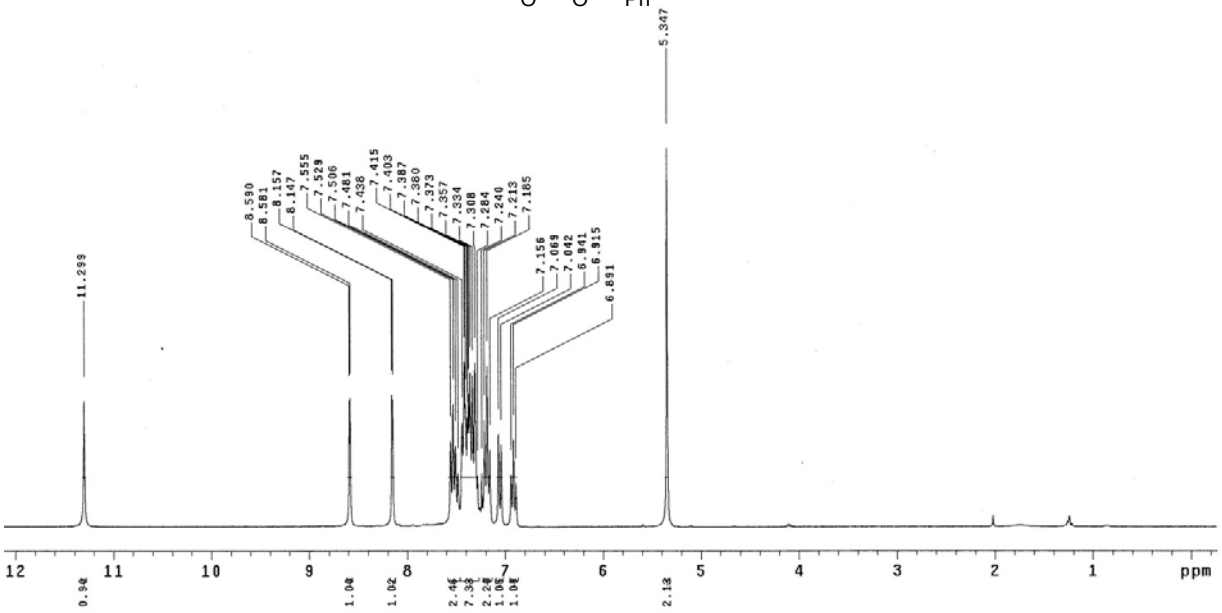
^{19}F-NMR/$CDCl_3$



Compound-**5i**

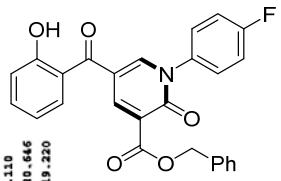
^{13}C-NMR/$CDCl_3$

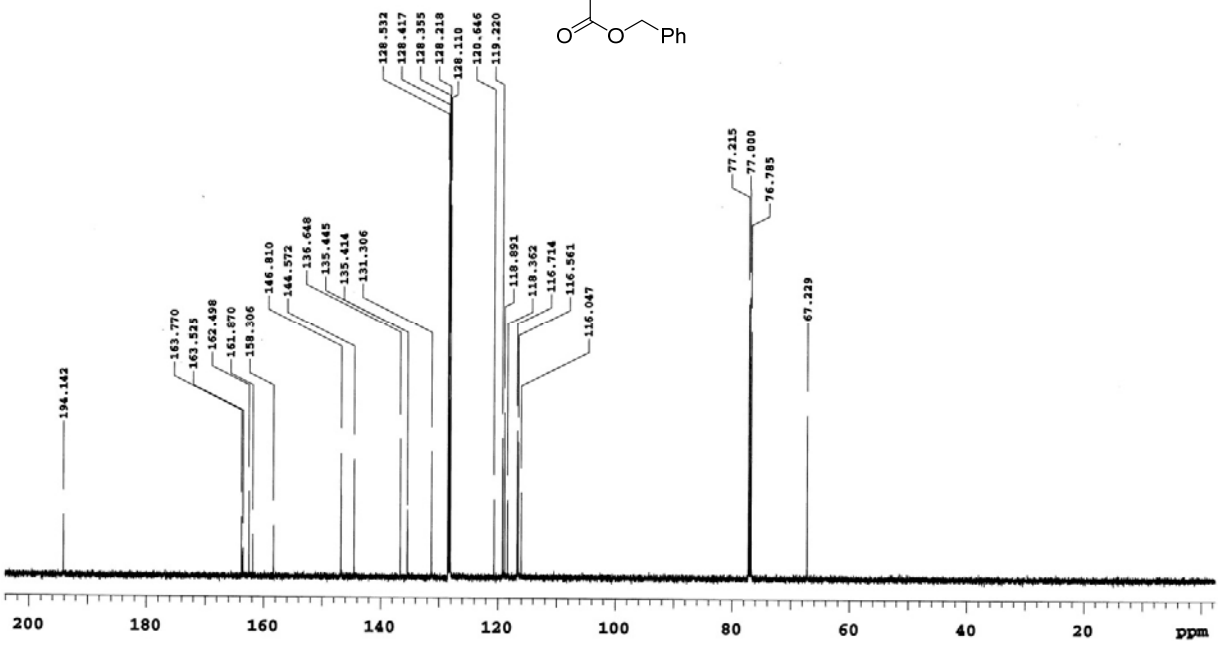




Compound-**5i**

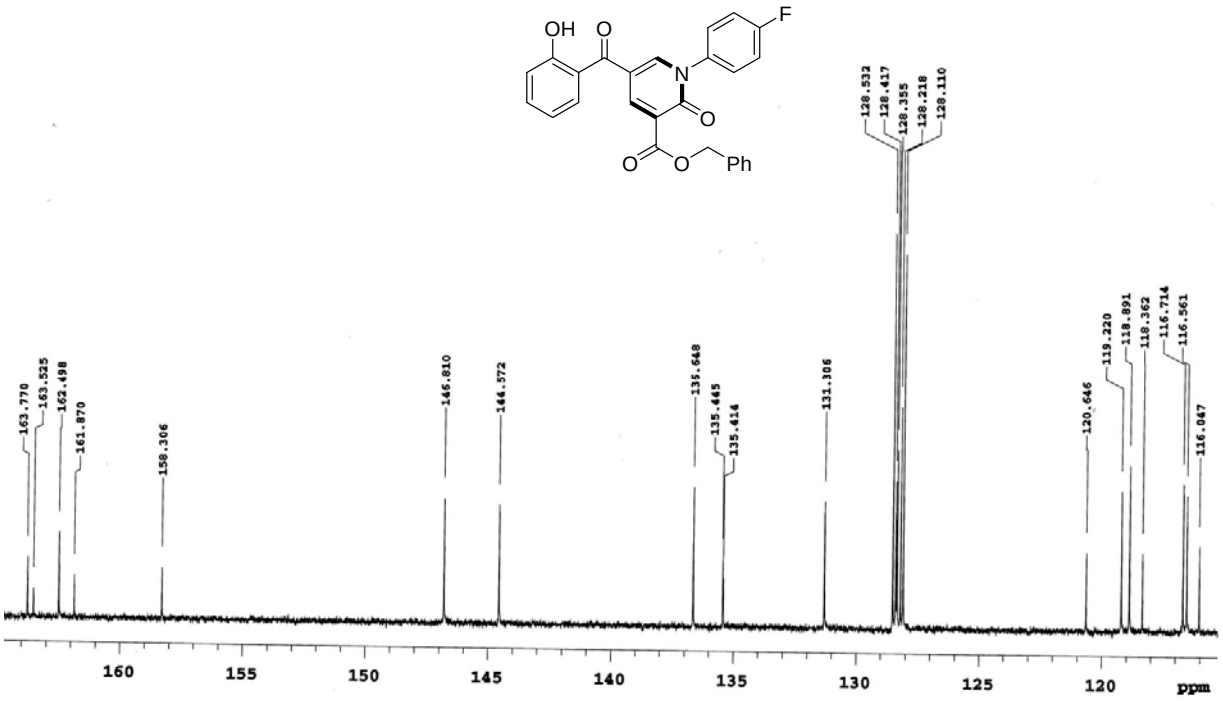
^{13}C-NMR/$CDCl_3$





Compound-**5i**

^{13}C-NMR/$CDCl_3$, Expansion



Compound-**5i**

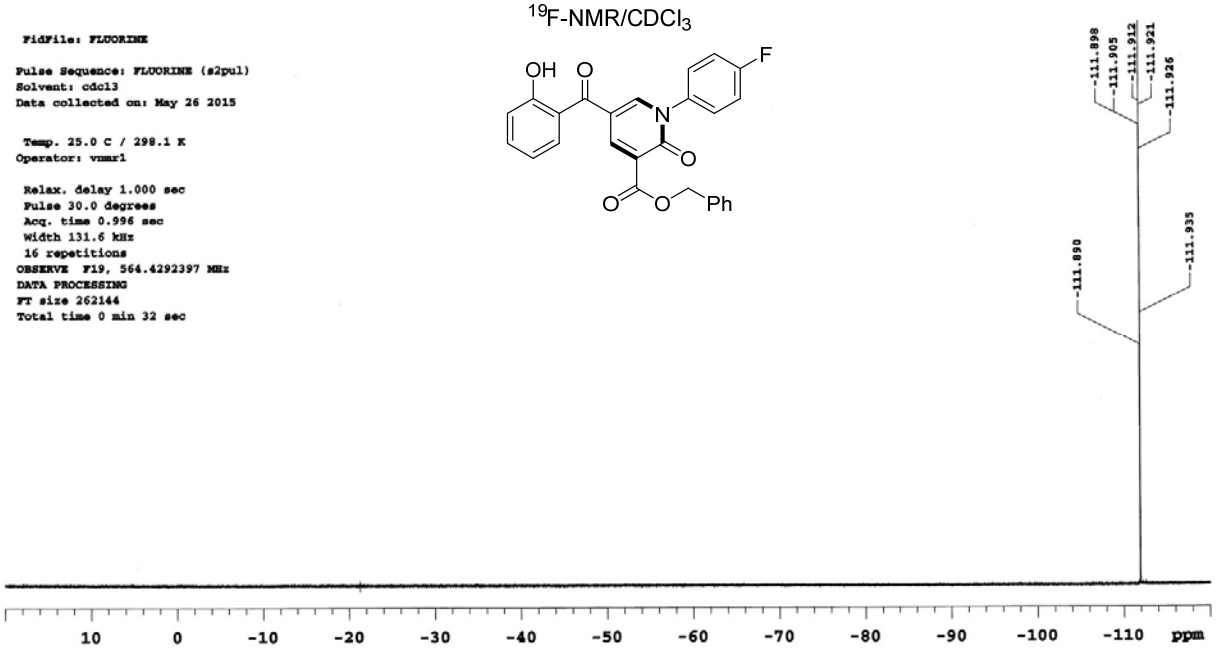
^{19}F-NMR/$CDCl_3$

FidFile: FLUORINE

Pulse Sequence: FLUORINE (s2pul)
Solvent: cdcl3
Data collected on: May 26 2015

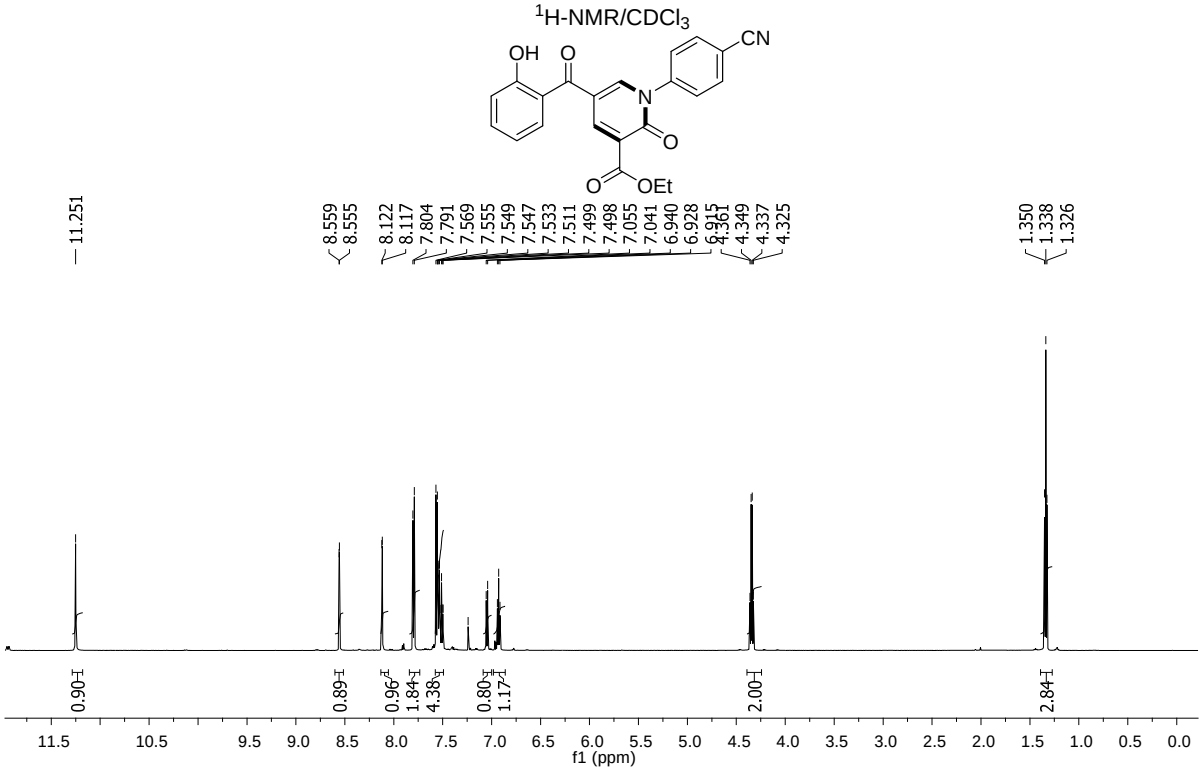
Temp. 25.0 C / 298.1 K
Operator: vnmr1

Relax. delay 1.000 sec
Pulse 30.0 degrees
Acq. time 0.996 sec
Width 131.6 kHz
16 repetitions
OBSERVE F19, 564.4292397 MHz
DATA PROCESSING
FT size 262144
Total time 0 min 32 sec



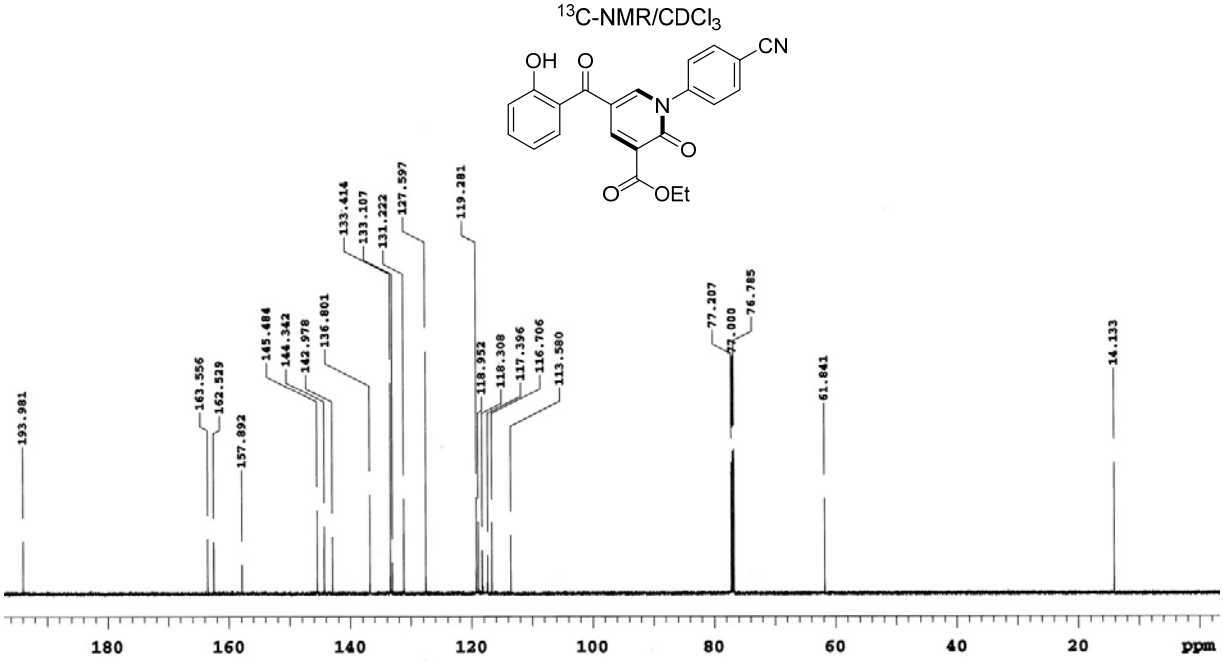
Compound-**5j**

^{1}H-NMR/$CDCl_3$



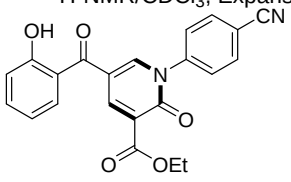
Compound-**5j**

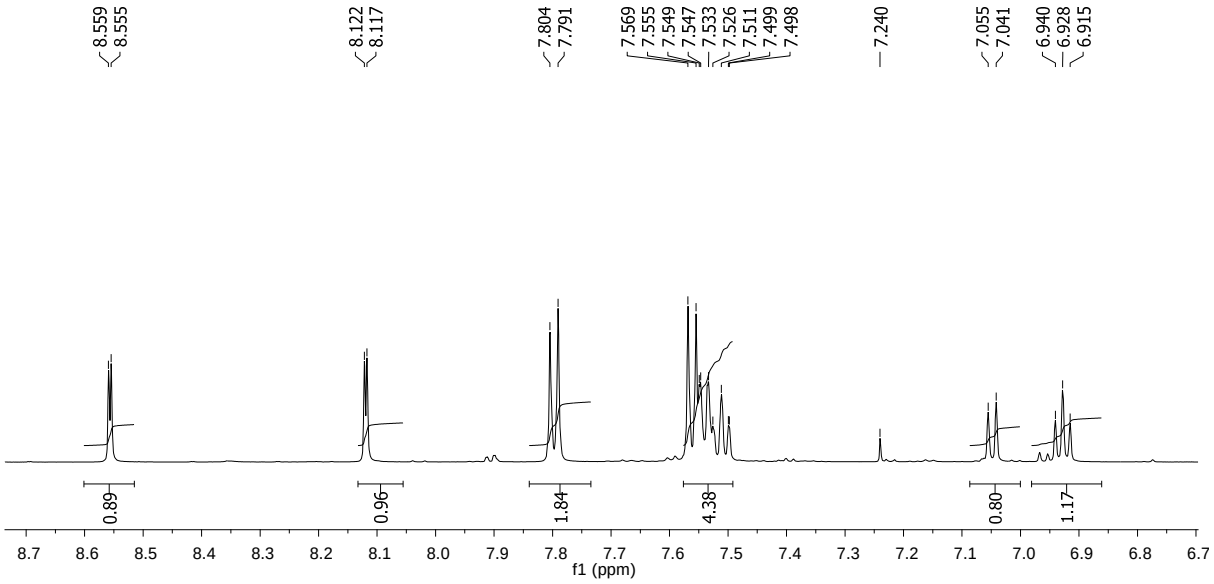
^{13}C-NMR/$CDCl_3$



Compound-**5j**

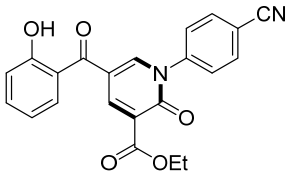
^{1}H-NMR/$CDCl_3$, Expansion

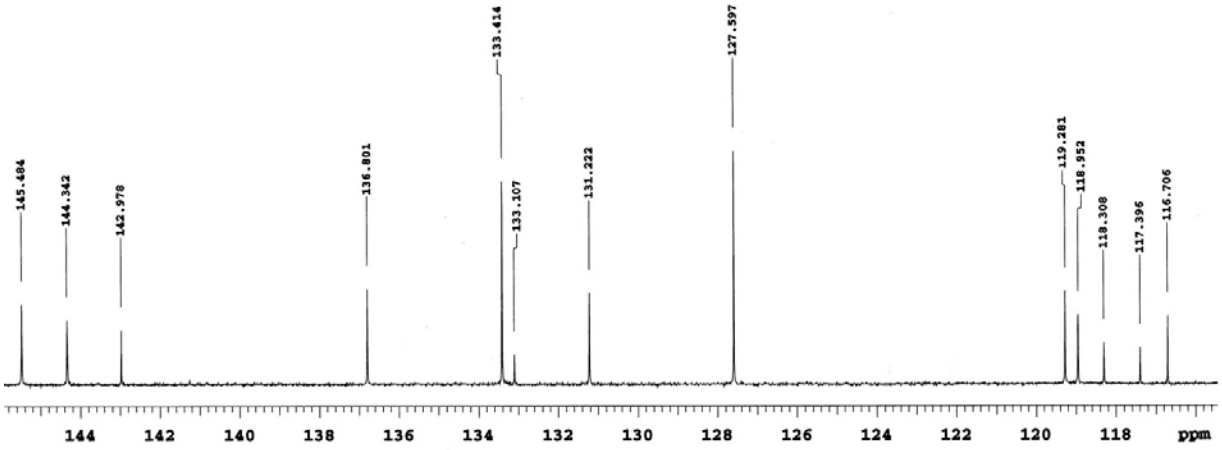


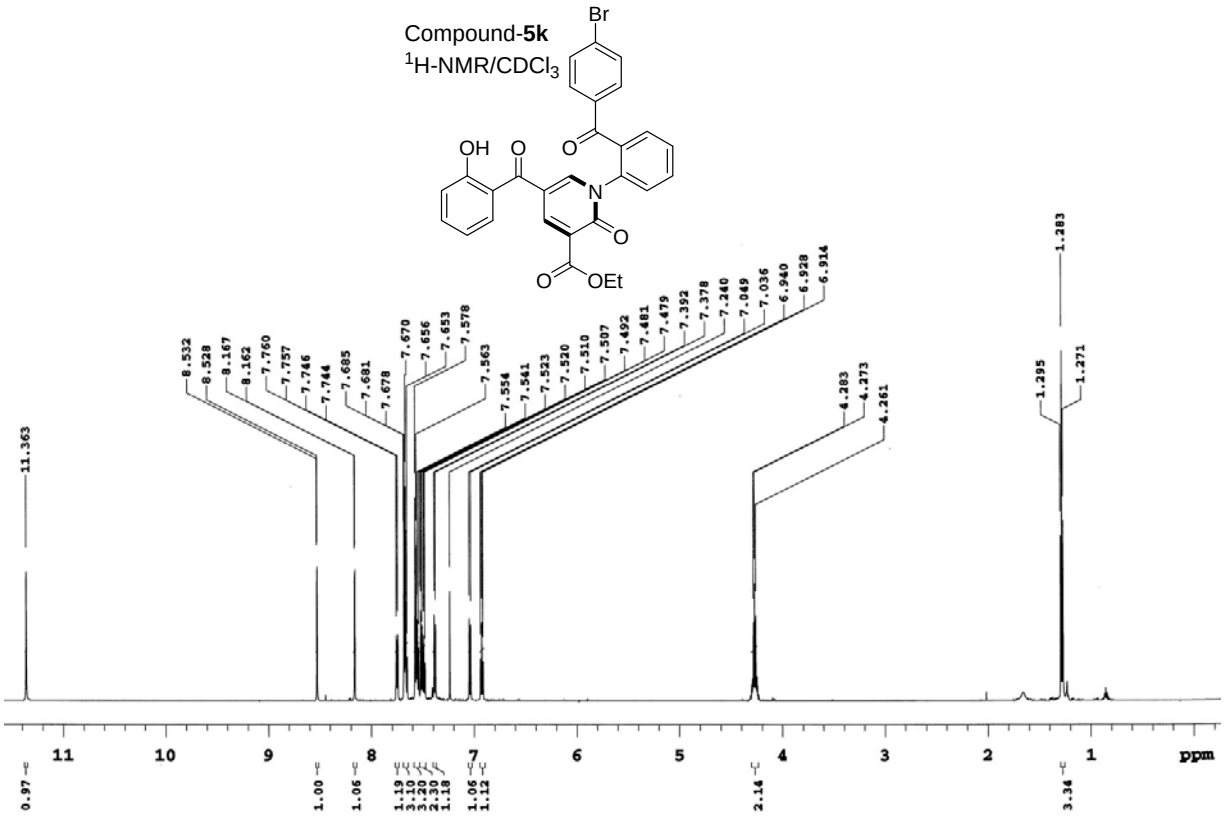


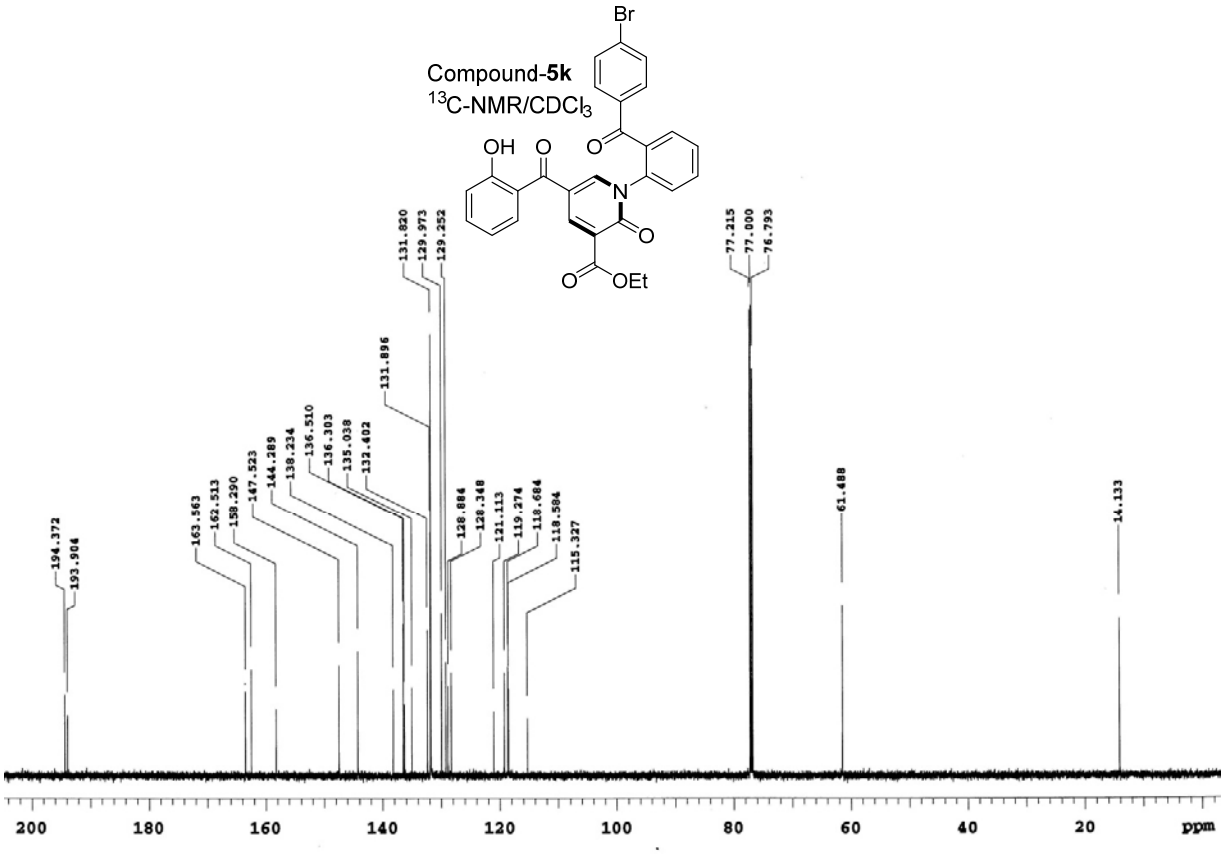
Compound-**5j**

^{13}C-NMR/$CDCl_3$, Expansion



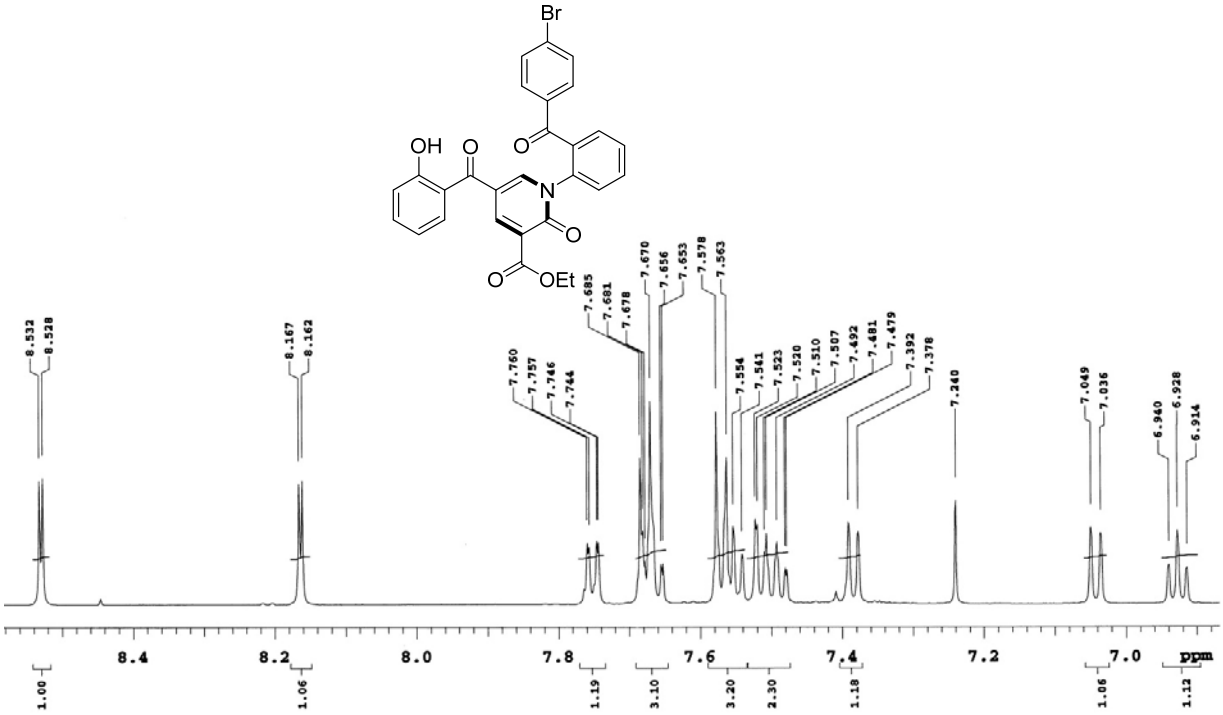



Compound-5k
1H-NMR/CDCl3
Br
OH
O
O
N
O
O
OEt
11.363
8.532
8.528
8.167
8.162
7.760
7.757
7.746
7.744
7.685
7.681
7.678
7.670
7.656
7.653
7.578
7.563
7.554
7.541
7.523
7.520
7.510
7.507
7.492
7.481
7.479
7.392
7.378
7.340
7.049
7.036
6.940
6.928
6.914
4.283
4.273
4.261
1.295
1.283
1.271
11
10
9
8
7
6
5
4
3
2
1
ppm
0.97
1.00
1.06
1.19
3.10
3.20
2.30
1.18
1.06
1.12
2.14
3.34


Compound-5k
13C-NMR/CDCl3
Br
OH
O
O
N
O
O
OEt
194.372
193.904
163.563
162.513
158.290
147.523
144.289
138.234
136.510
136.303
135.038
132.402
131.896
131.820
129.973
129.252
128.884
128.348
121.113
119.274
118.684
118.584
115.327
77.215
77.000
76.793
61.488
14.133
200
180
160
140
120
100
80
60
40
20
ppm

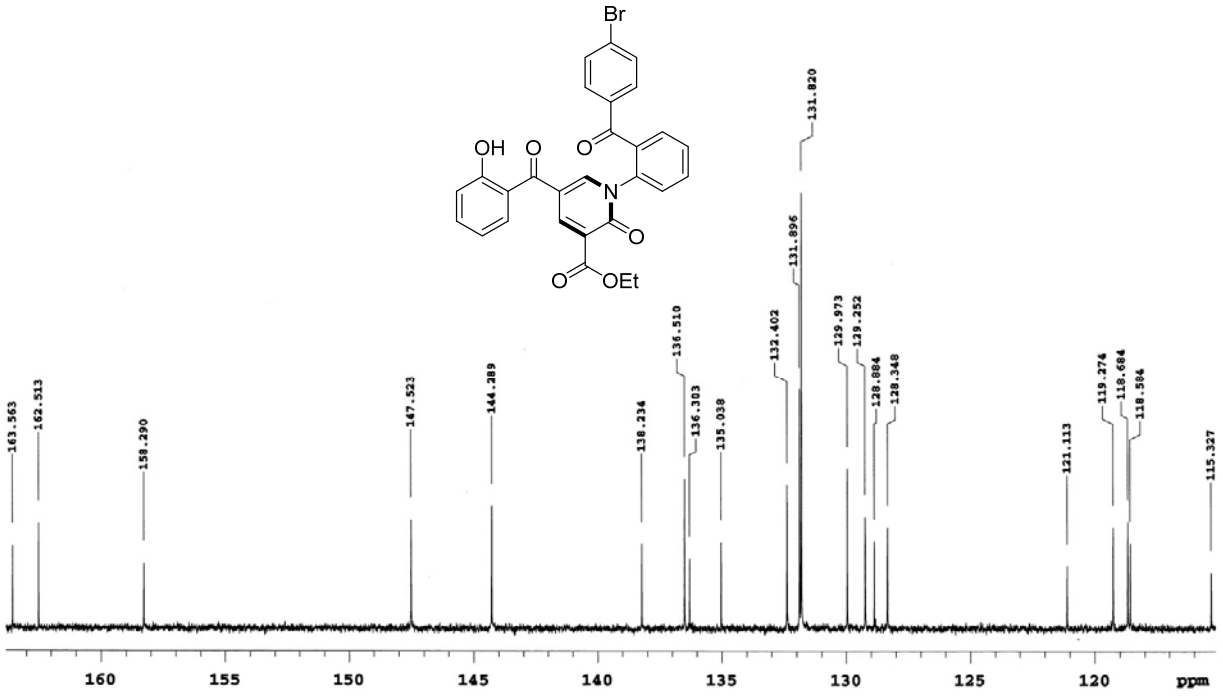
Compound-**5k**

^{1}H-NMR/$CDCl_3$, Expansion



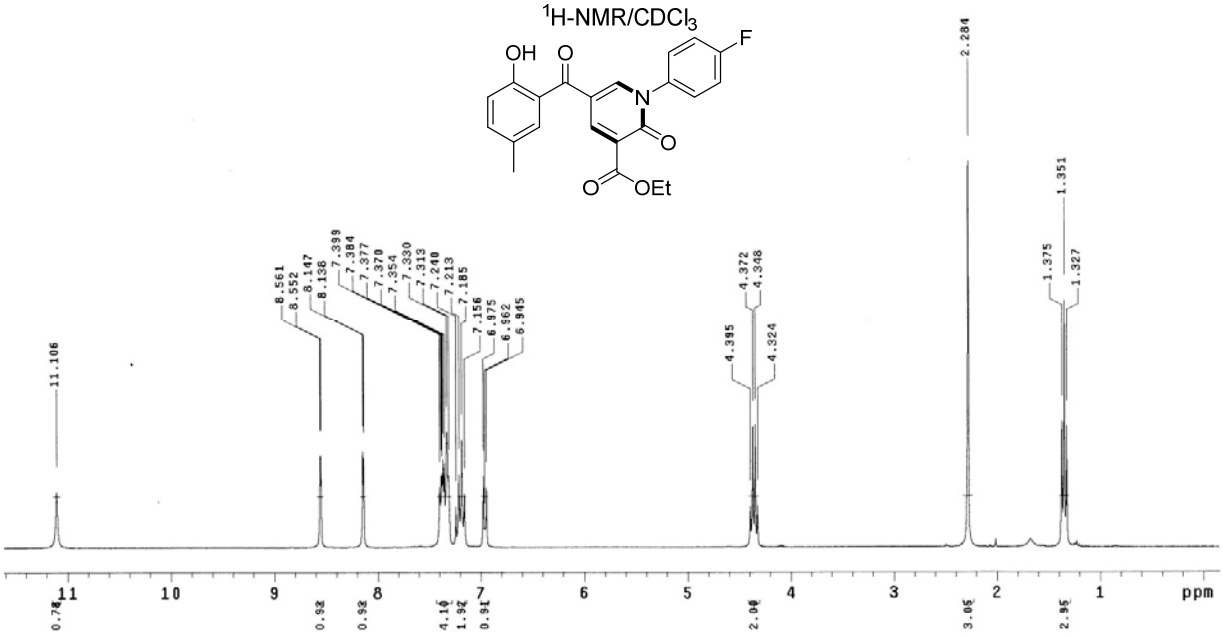
Compound-**5k**

^{13}C-NMR/$CDCl_3$, Expansion



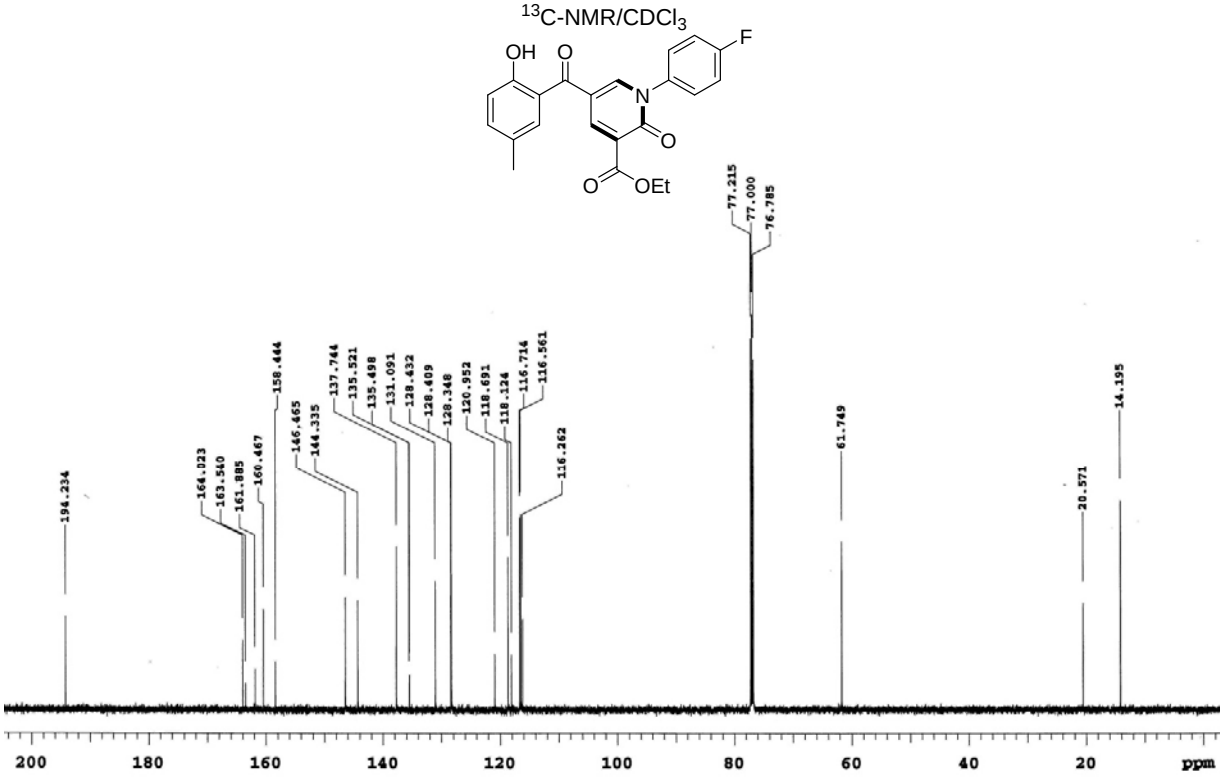
Compound-**5l**

^{1}H-NMR/$CDCl_3$



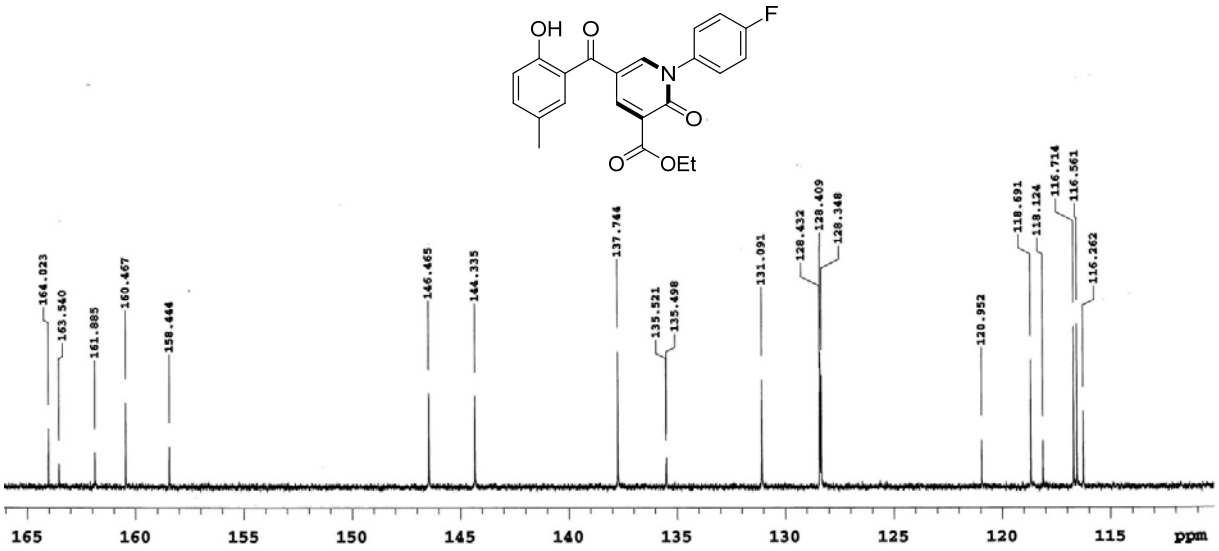
Compound-**5l**

^{13}C-NMR/$CDCl_3$



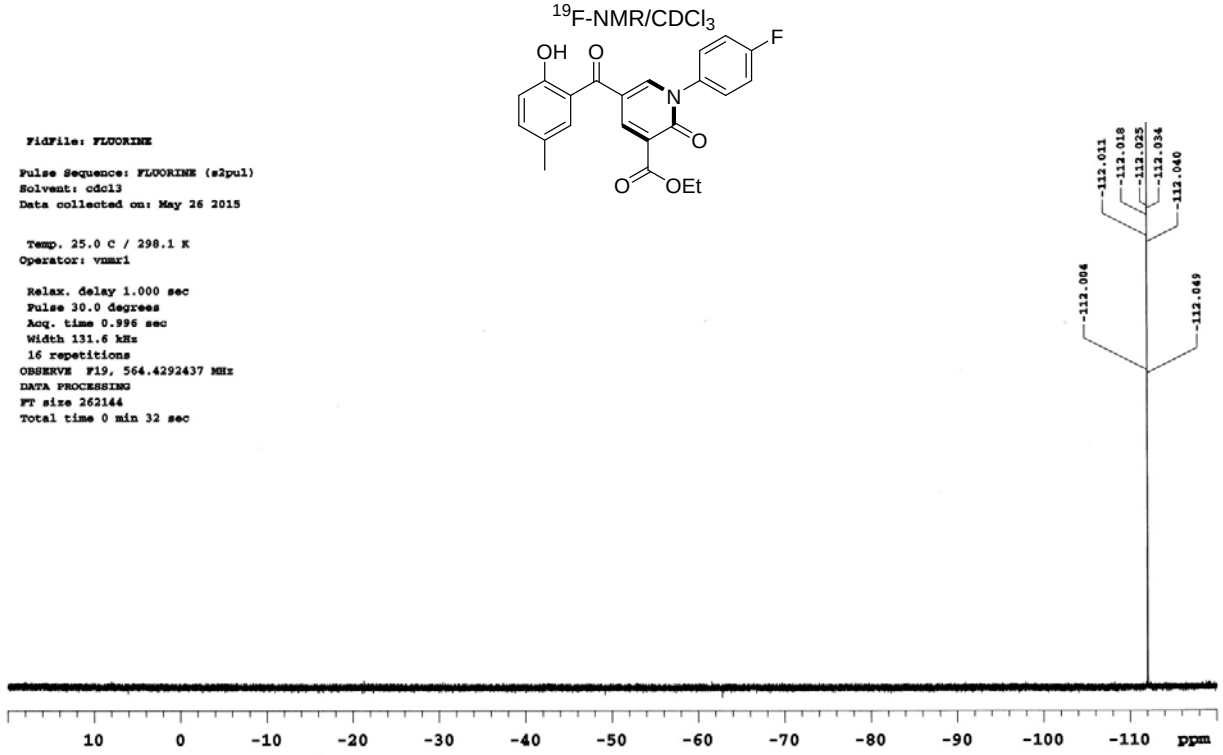
Compound-**5l**

^{13}C-NMR/$CDCl_3$, Expansion



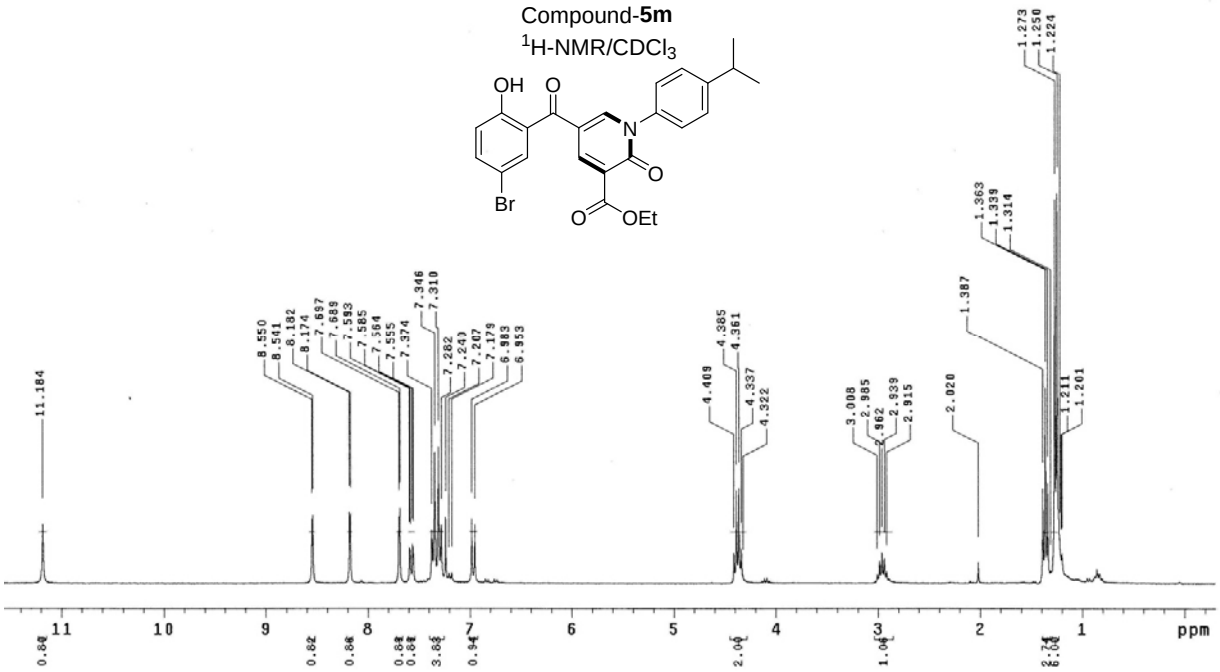
Compound-**5l**

^{19}F-NMR/$CDCl_3$



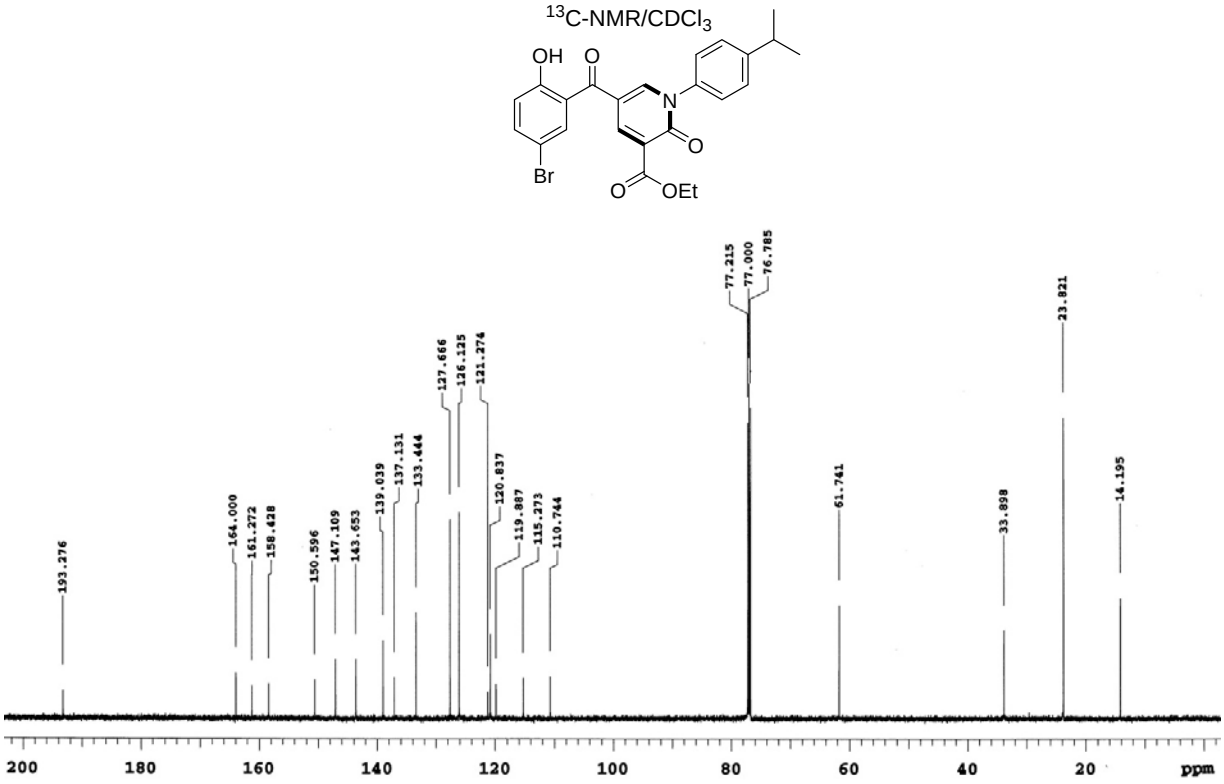
Compound-**5m**

^{1}H-NMR/$CDCl_3$



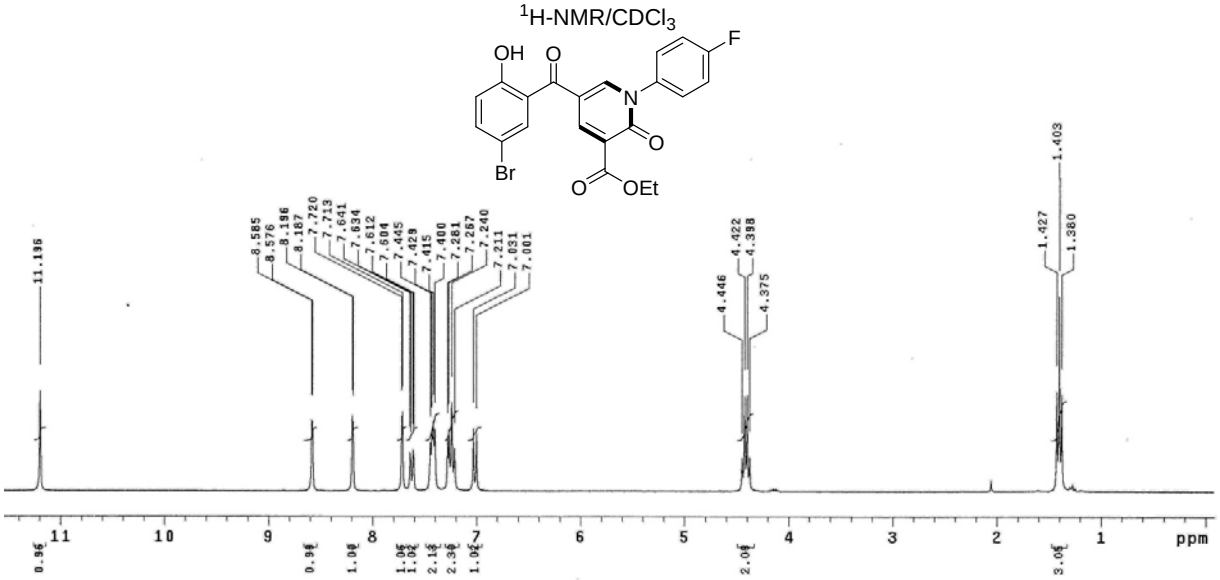
Compound-**5m**

^{13}C-NMR/$CDCl_3$



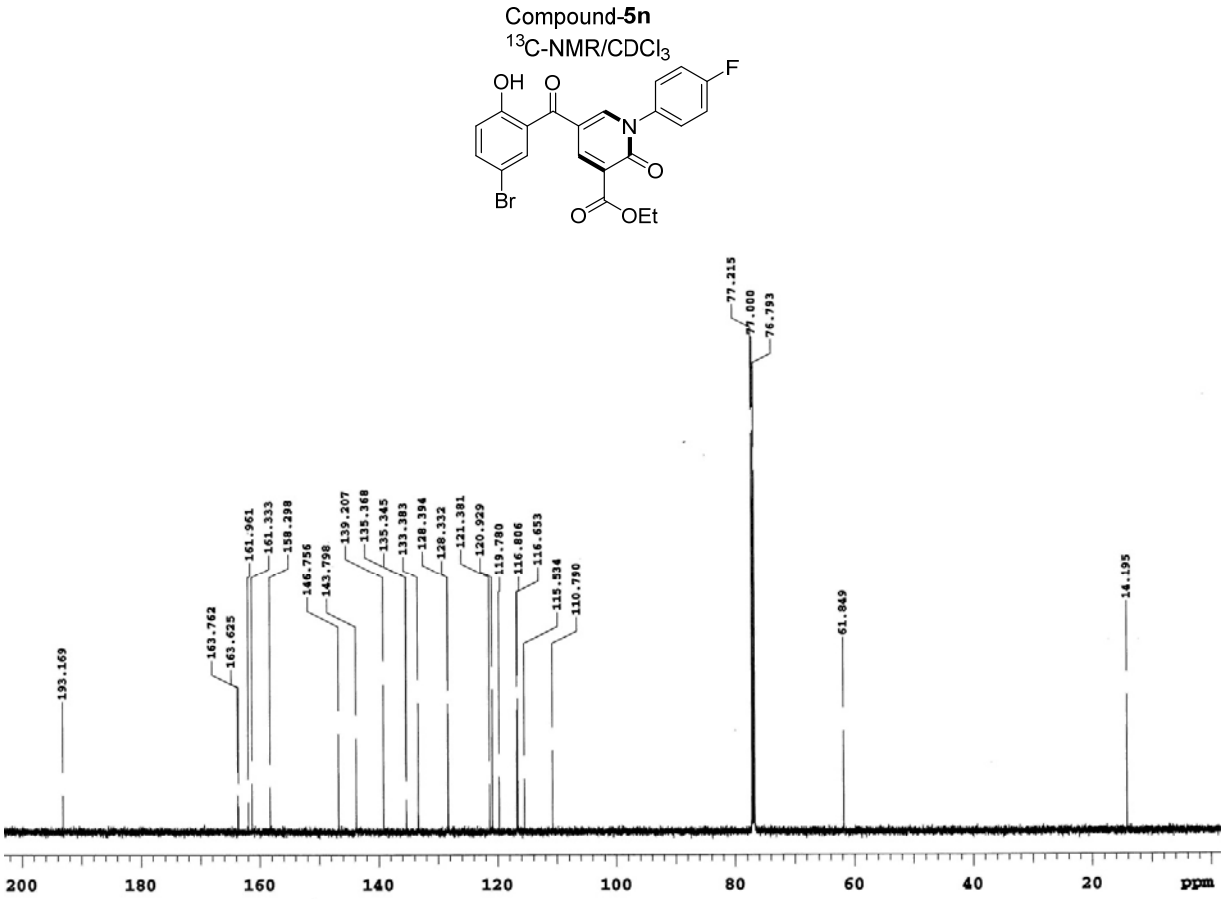
Compound-**5n**

^{1}H-NMR/$CDCl_3$



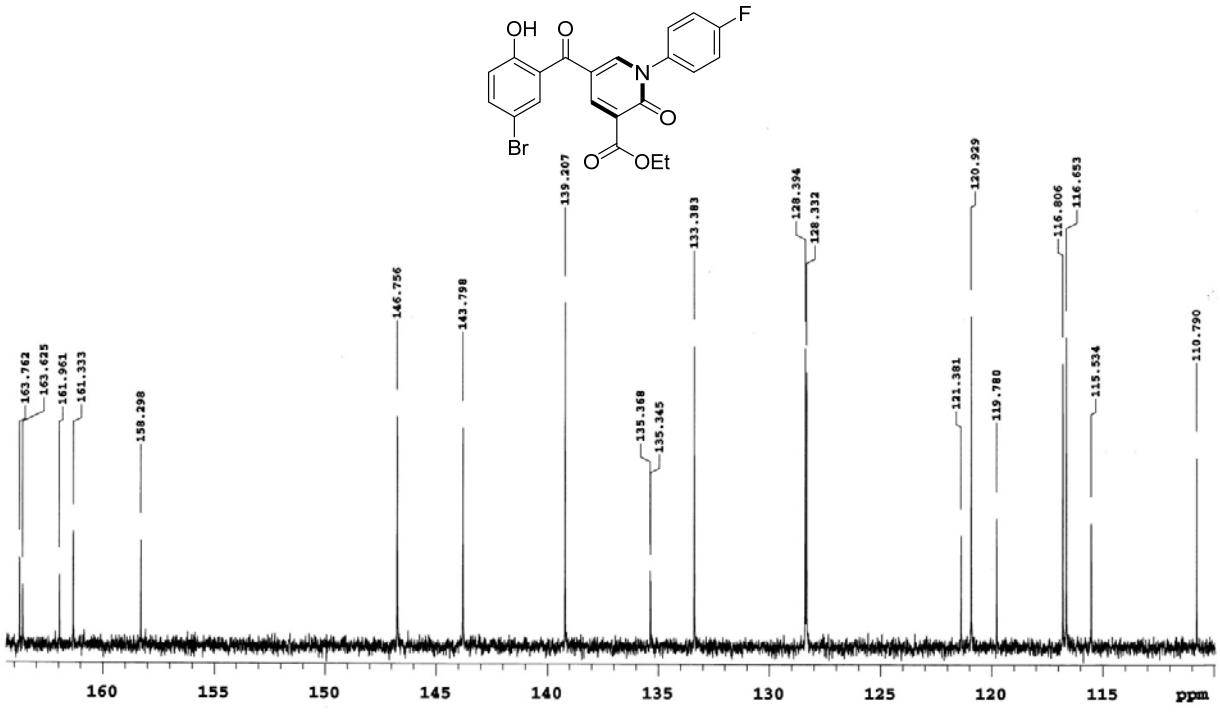
Compound-**5n**

^{13}C-NMR/$CDCl_3$



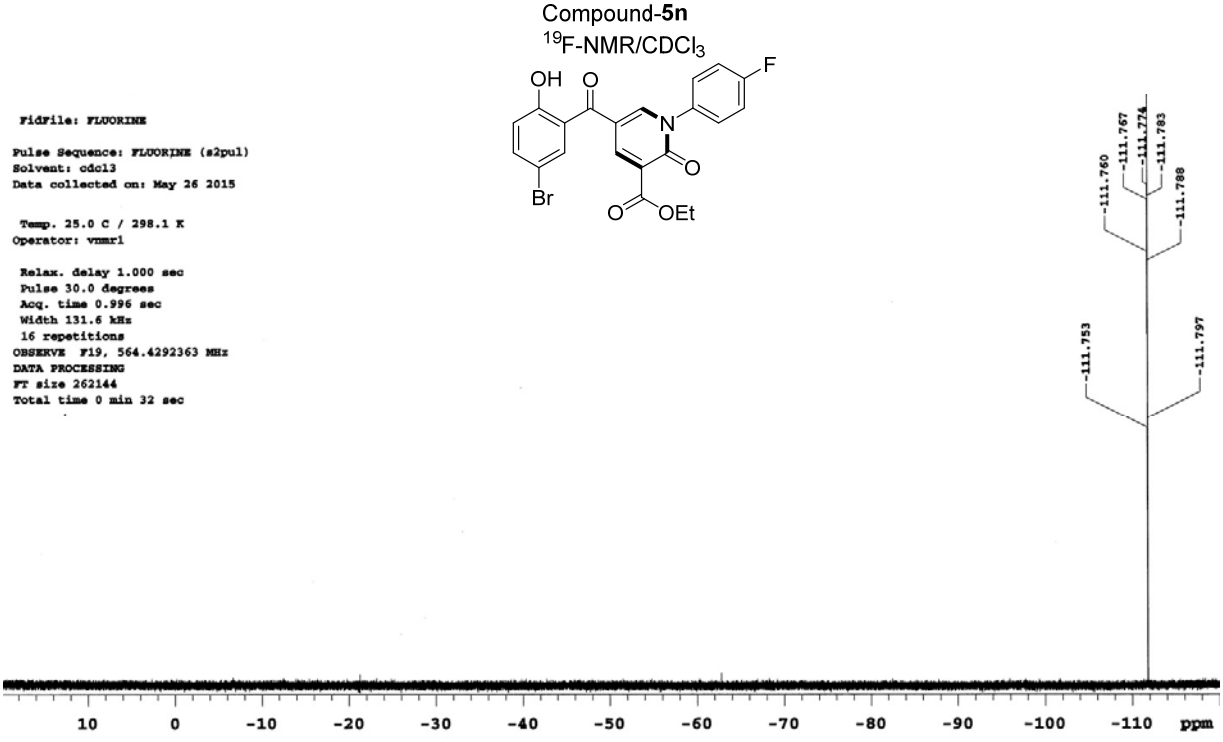
Compound-**5n,** Expansion

^{13}C-NMR/$CDCl_3$



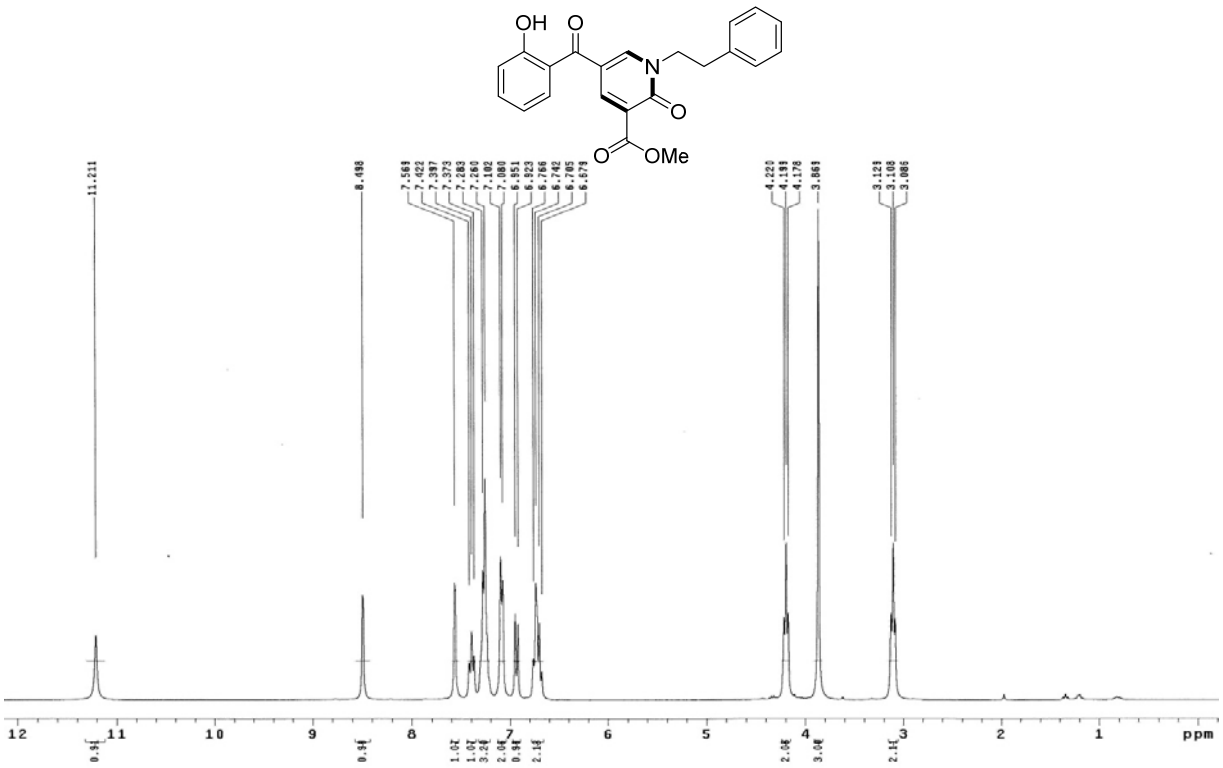
Compound-**5n**

^{19}F-NMR/$CDCl_3$



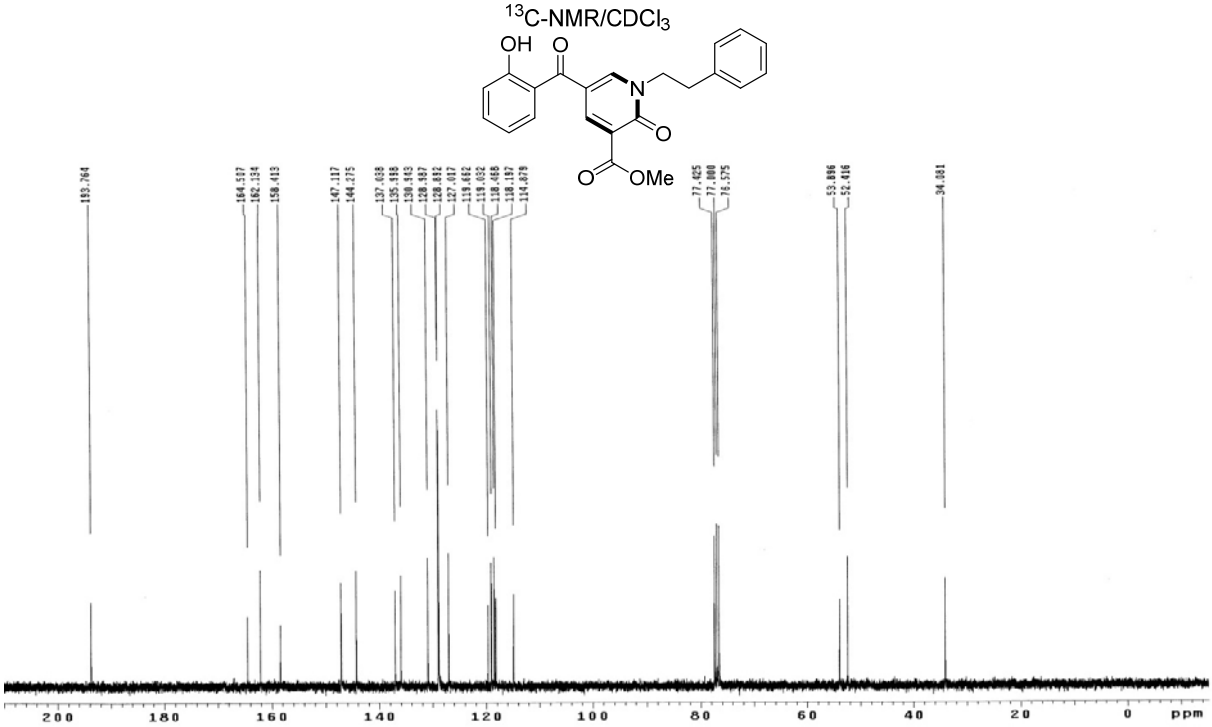
Compound-**6a**

^{1}H-NMR/$CDCl_3$



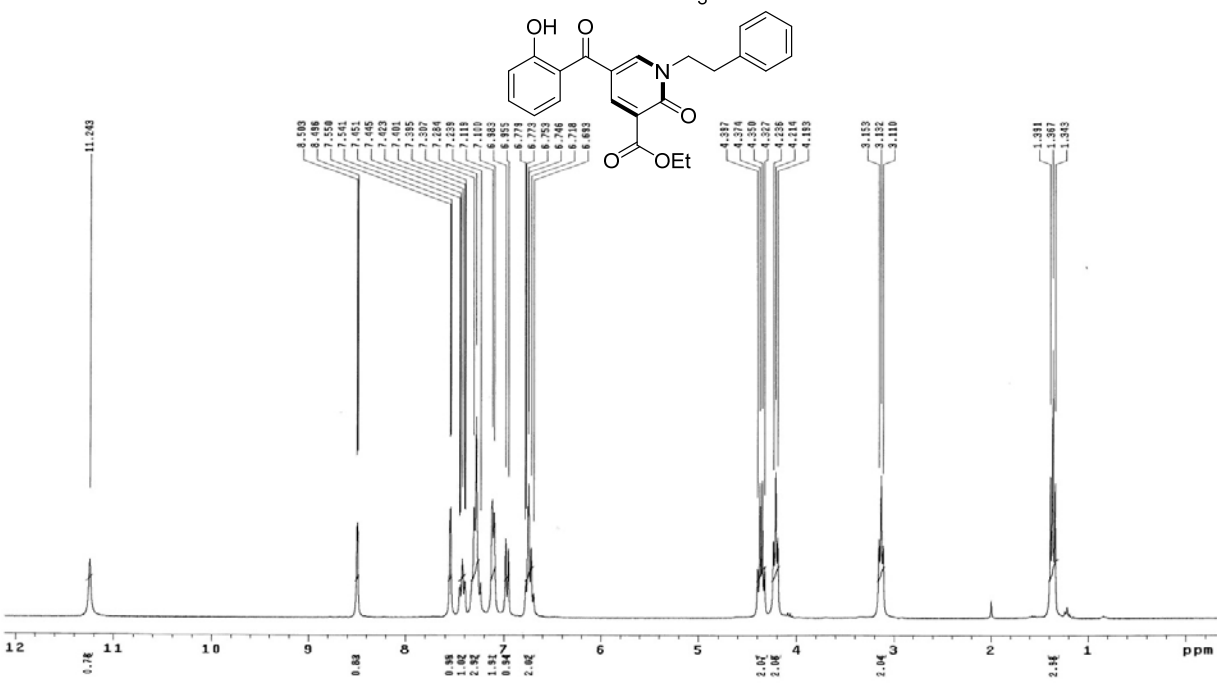
Compound-**6a**

^{13}C-NMR/$CDCl_3$



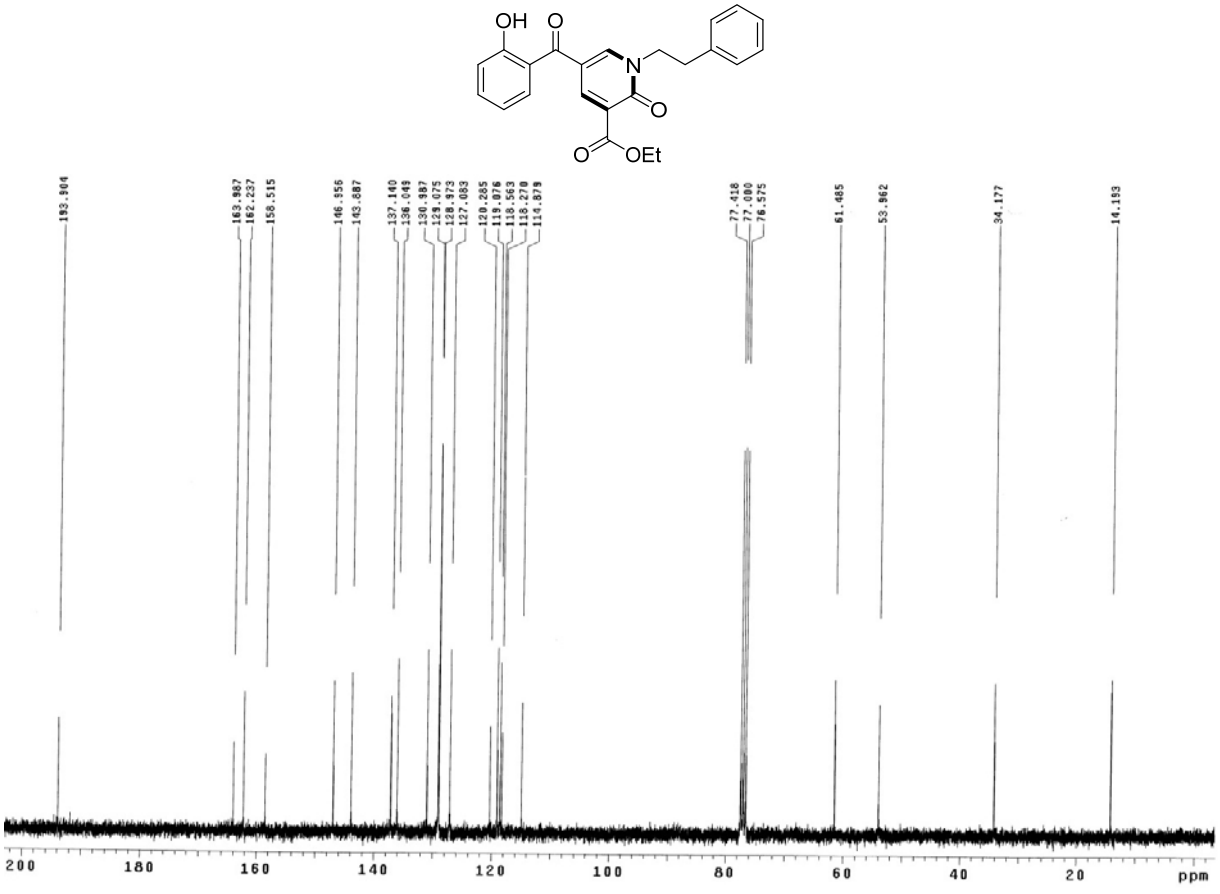
Compound-**6b**

^{1}H-NMR/$CDCl_3$



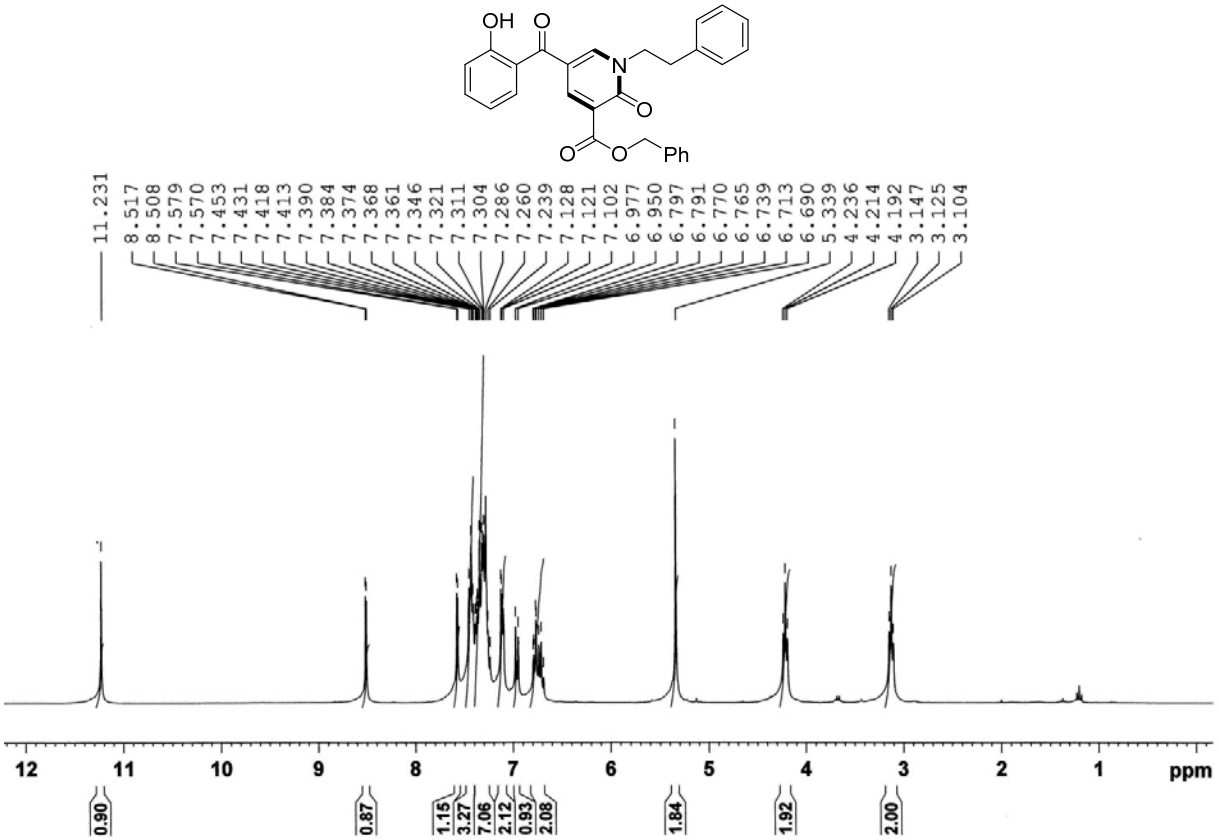
Compound-**6b**

^{13}C-NMR/$CDCl_3$



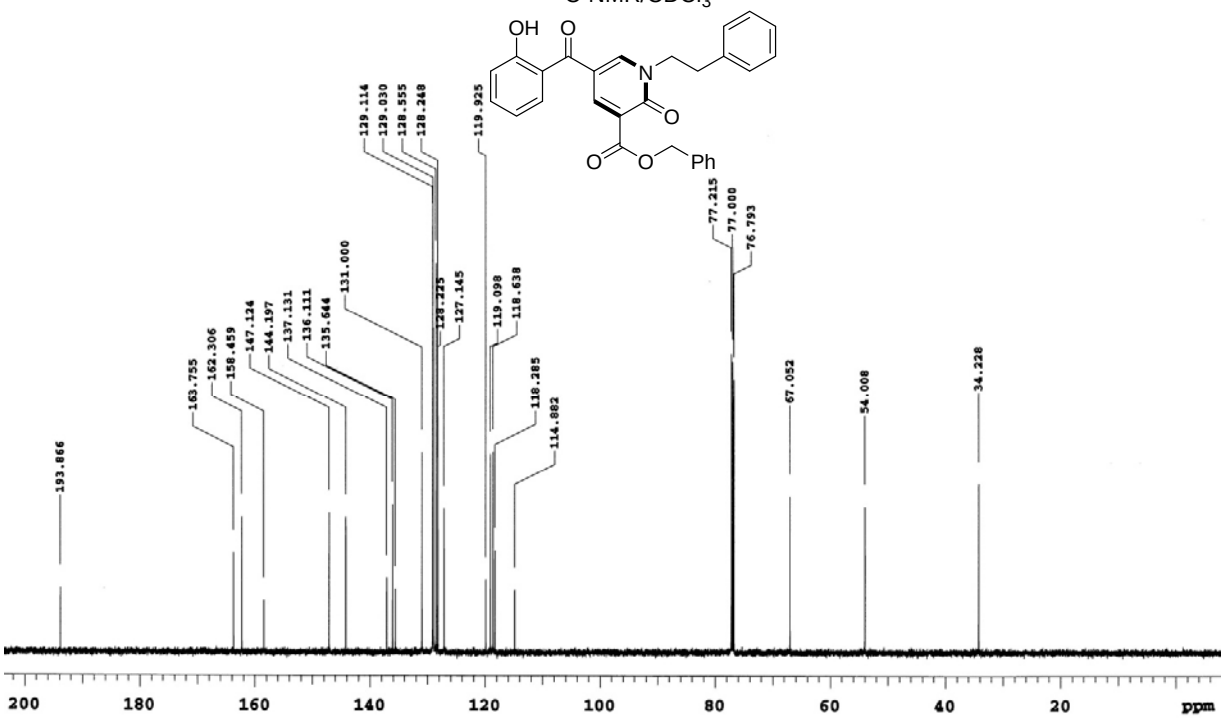
Compound-**6c**

^{1}H-NMR/$CDCl_3$



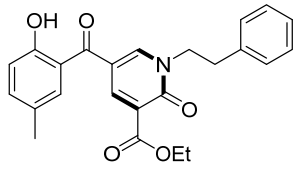
Compound-**6c**

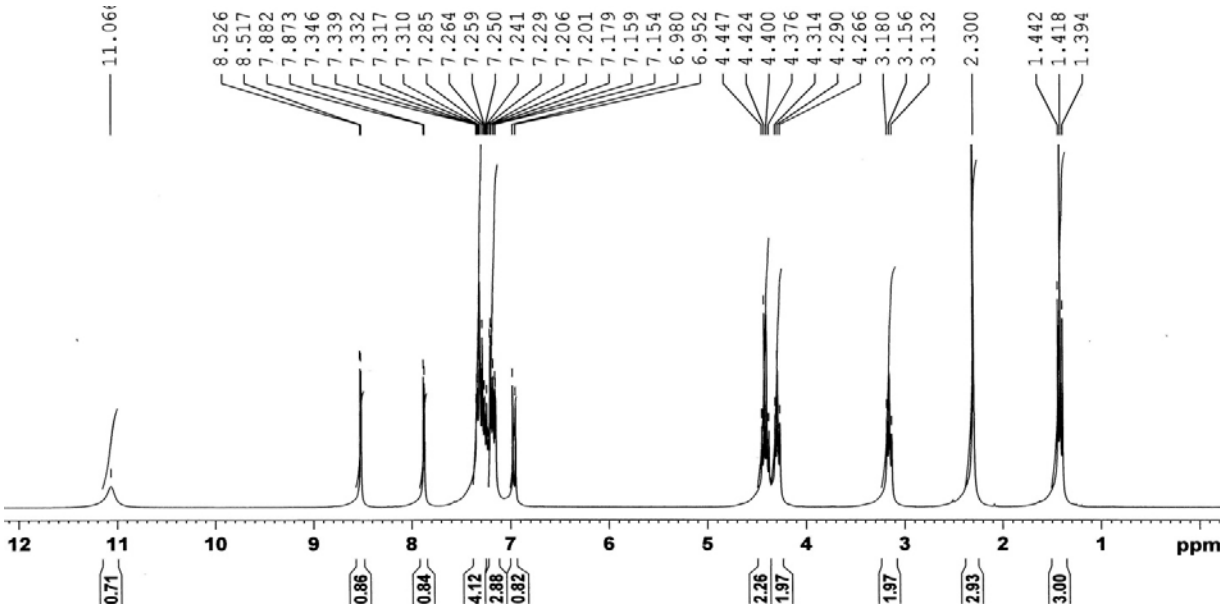
^{13}C-NMR/$CDCl_3$



Compound-**6d**

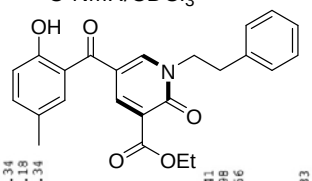
^{1}H-NMR/$CDCl_3$

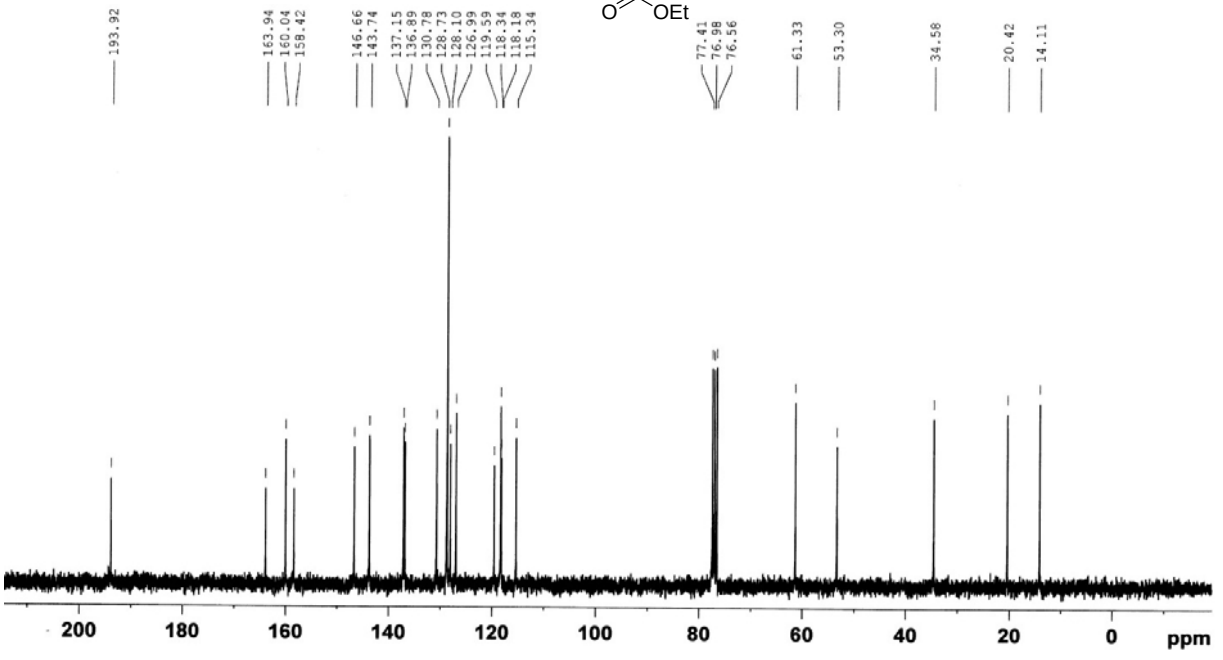




Compound-**6d**

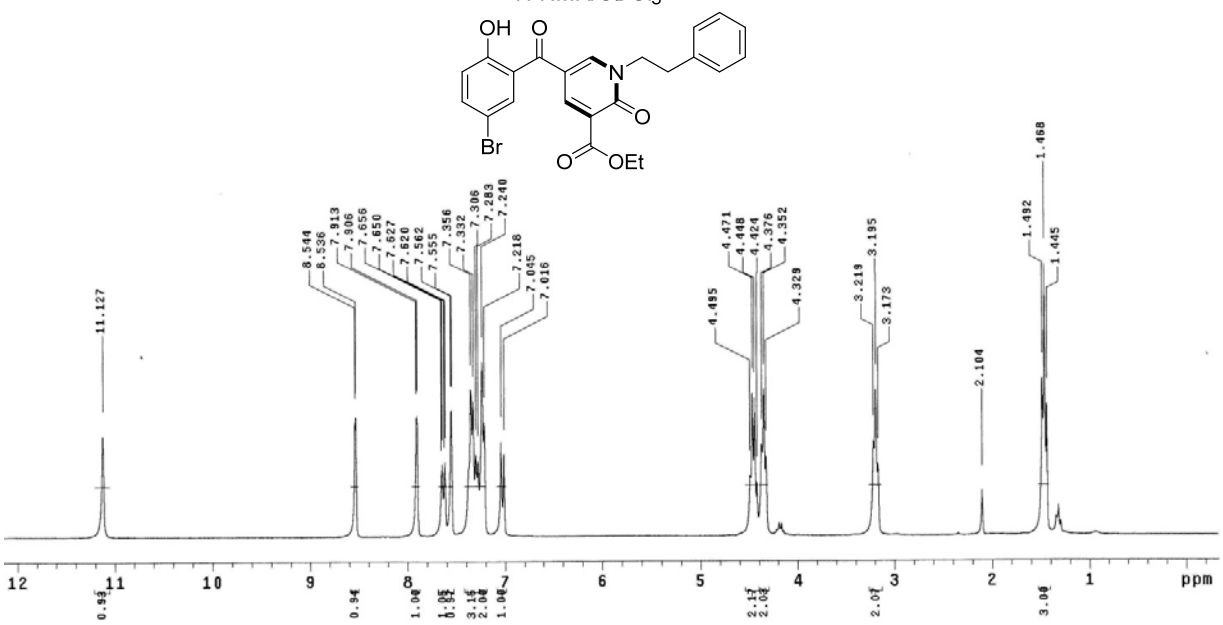
^{13}C-NMR/$CDCl_3$





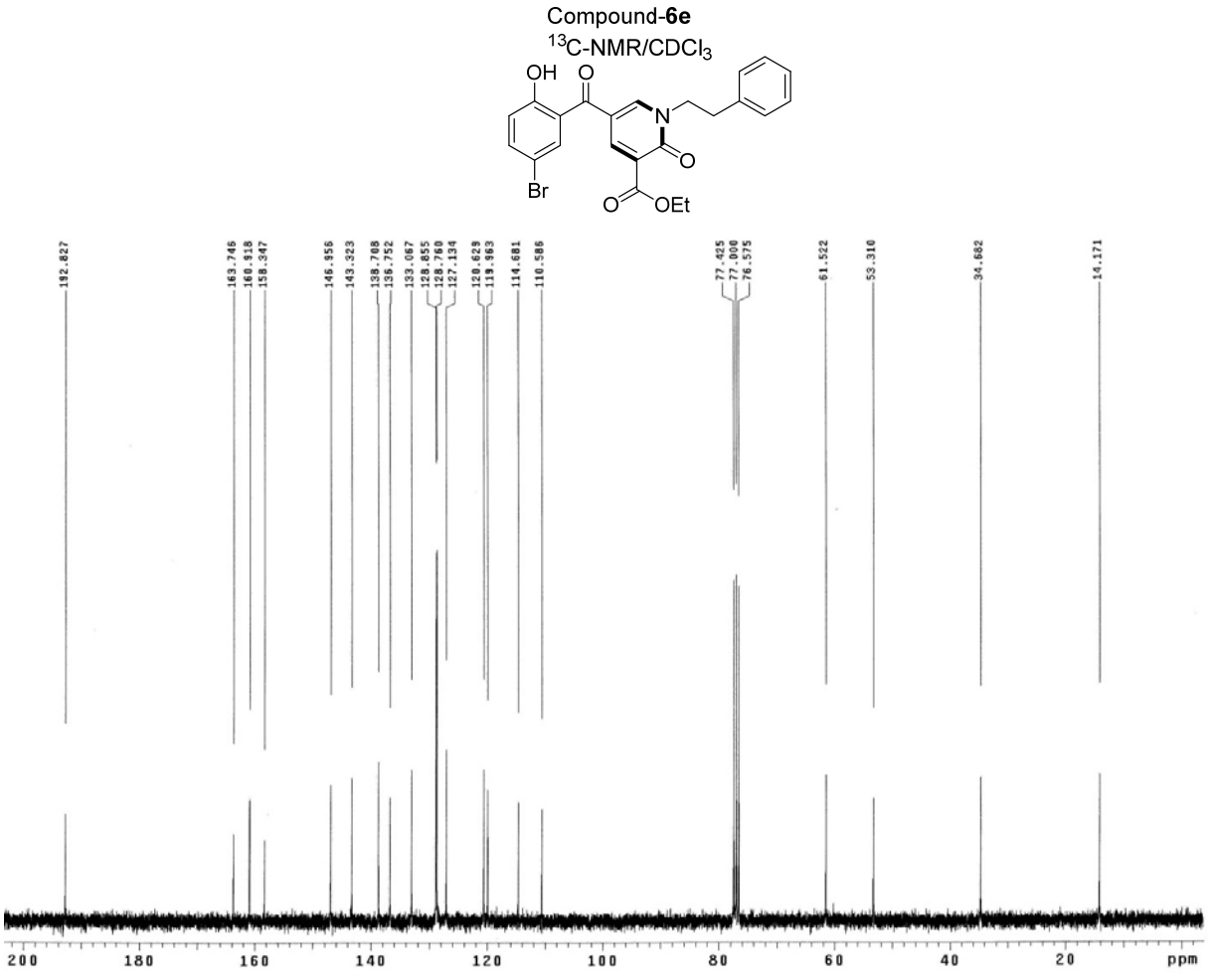
Compound-**6e**

^{1}H-NMR/$CDCl_3$



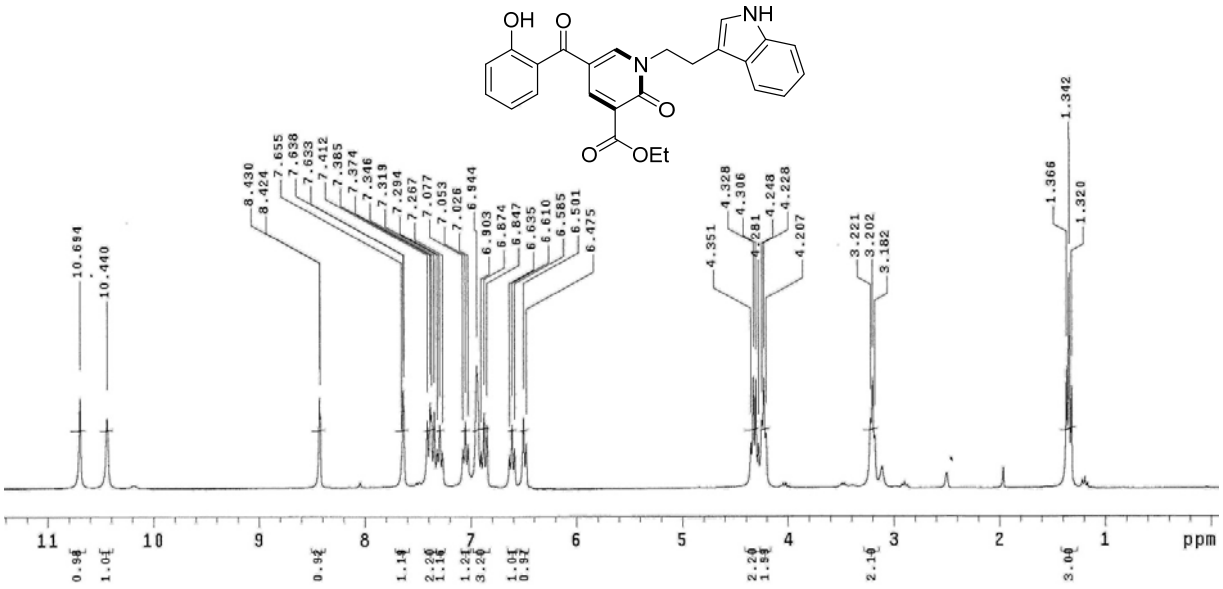
Compound-**6e**

^{13}C-NMR/$CDCl_3$



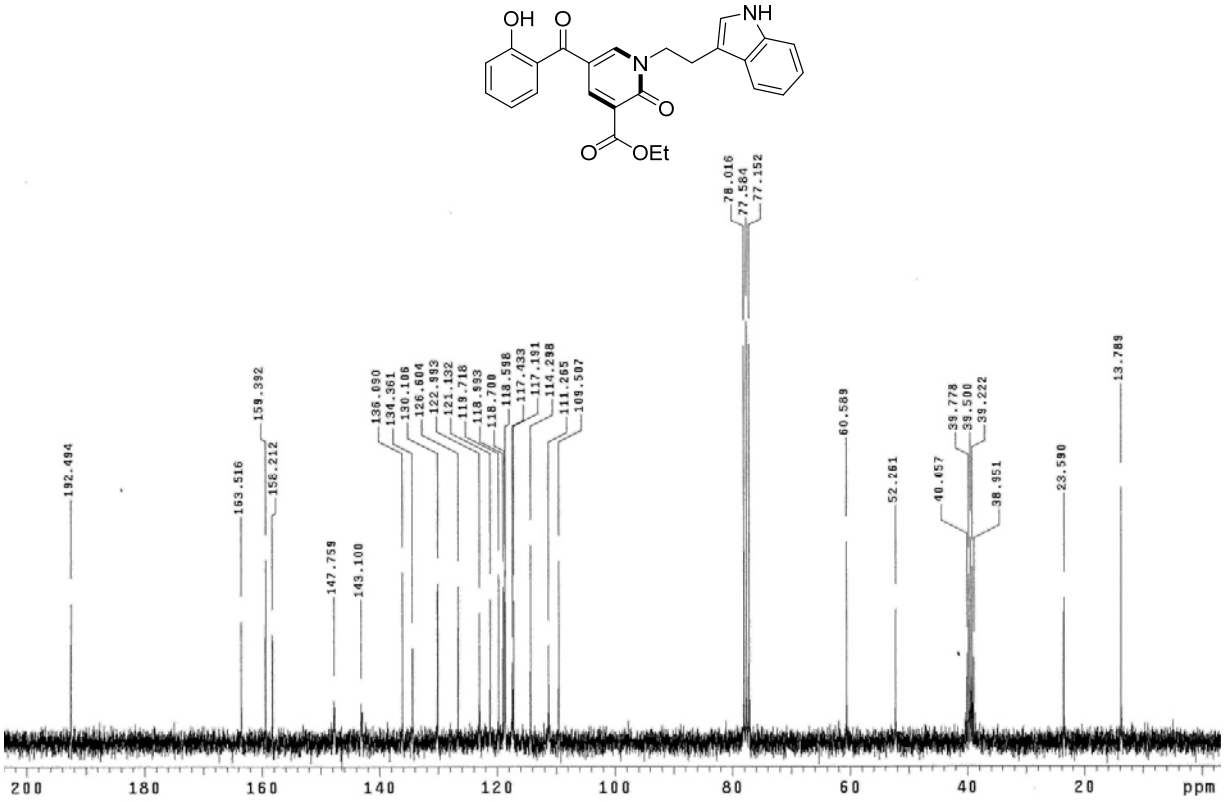
Compound-**6f**

^{1}H-NMR/$CDCl_3$ + DMSO-d_6



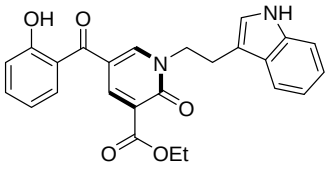
Compound-**6f**

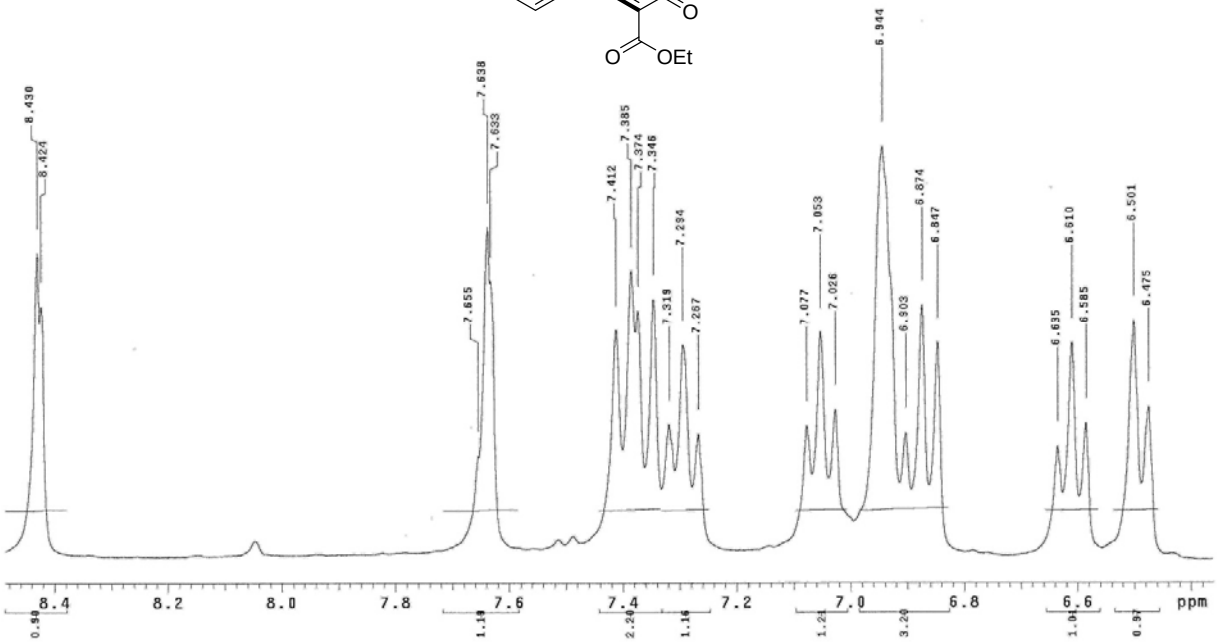
^{13}C-NMR/$CDCl_3$ + DMSO-d_6



Compound-**6f**

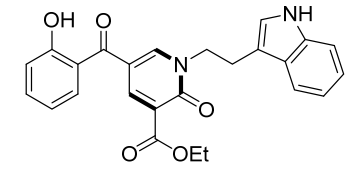
^{1}H-NMR/$CDCl_3$ + DMSO-d_6, Expansion

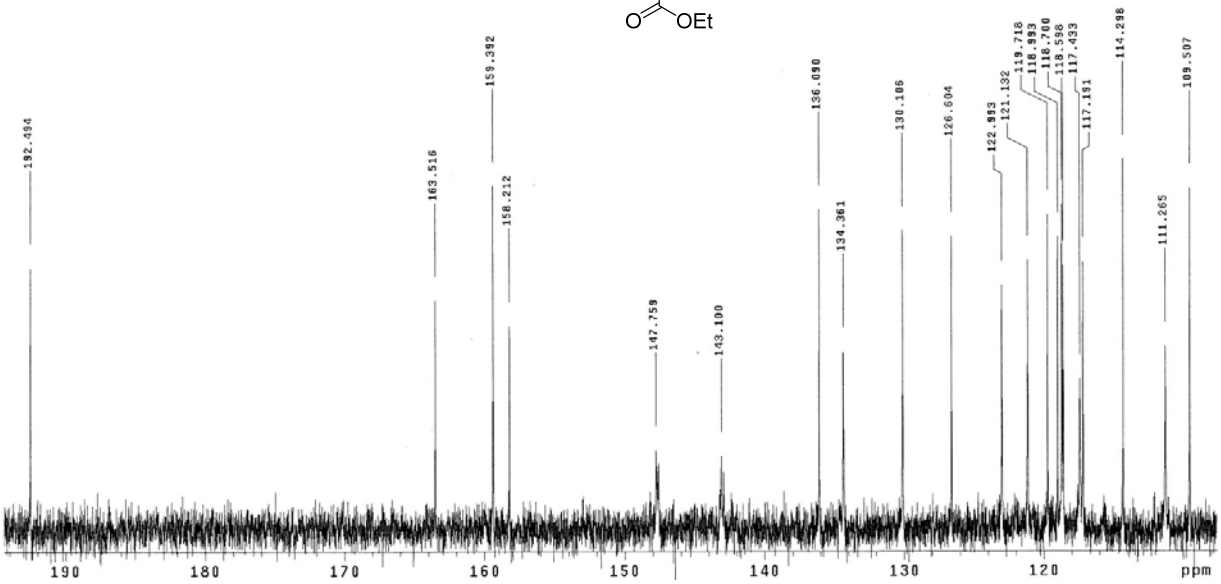




Compound-**6f**

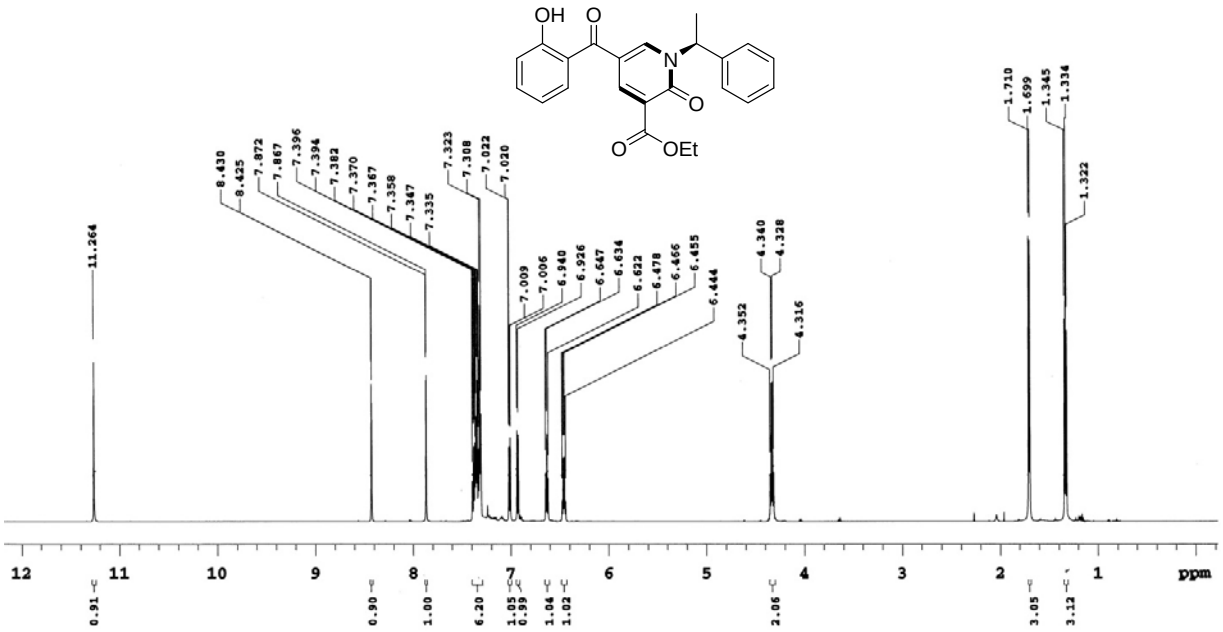
^{13}C-NMR/$CDCl_3$ + DMSO-d_6, Expansion





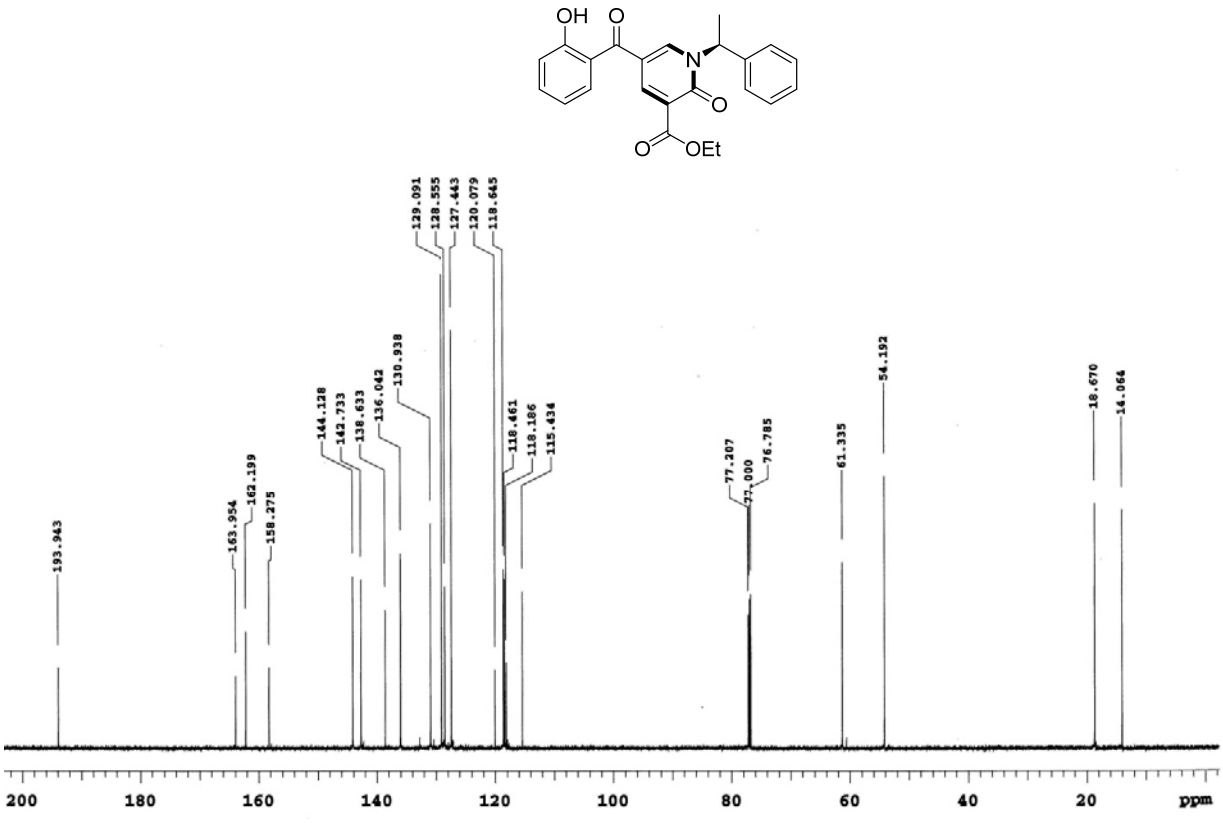
Compound-**6g**

^{1}H-NMR/$CDCl_3$



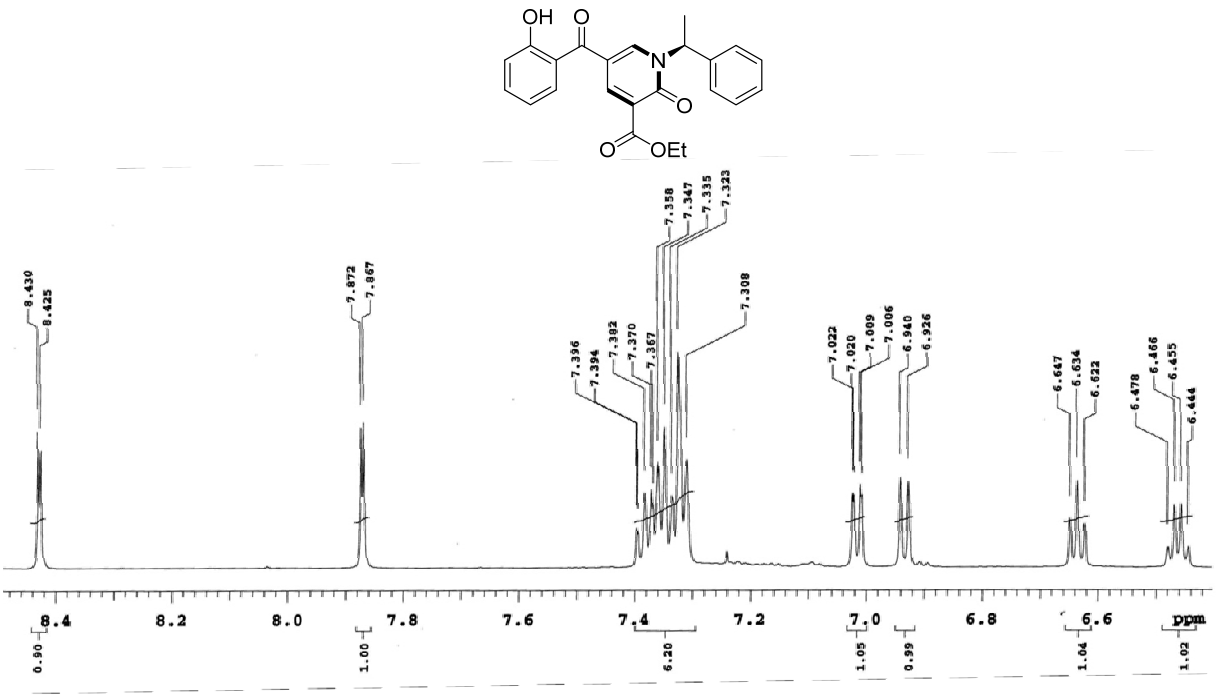
Compound-**6g**

^{13}C-NMR/$CDCl_3$



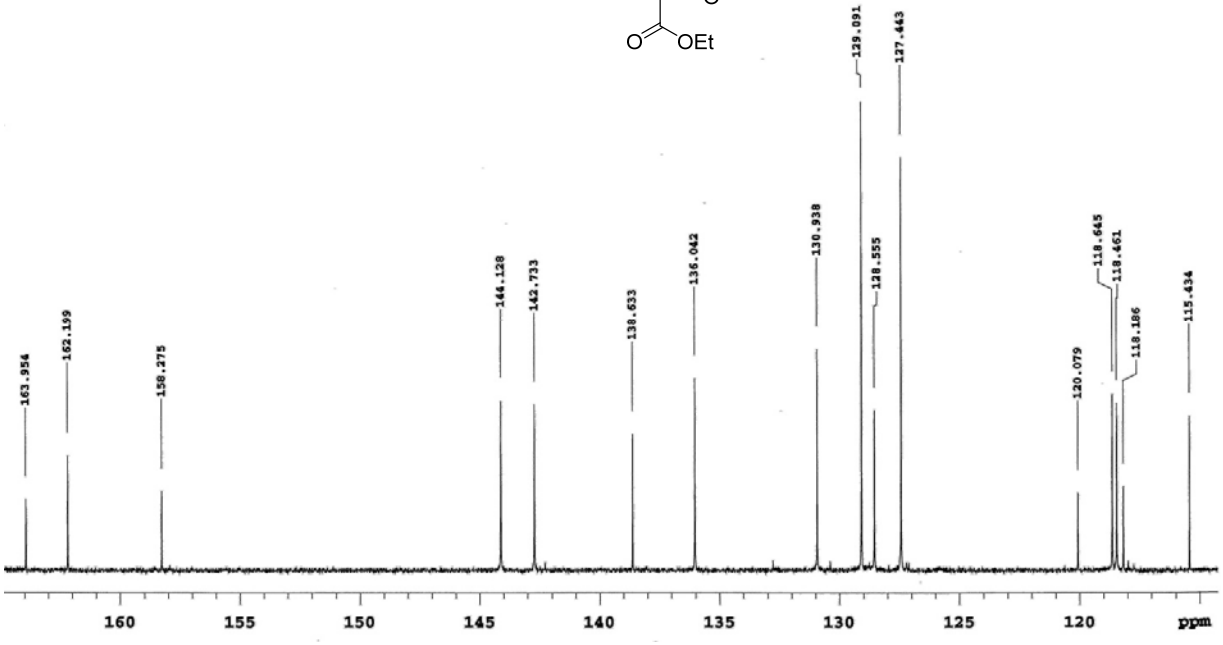
Compound-**6g**

^{1}H-NMR/$CDCl_3$, Expansion



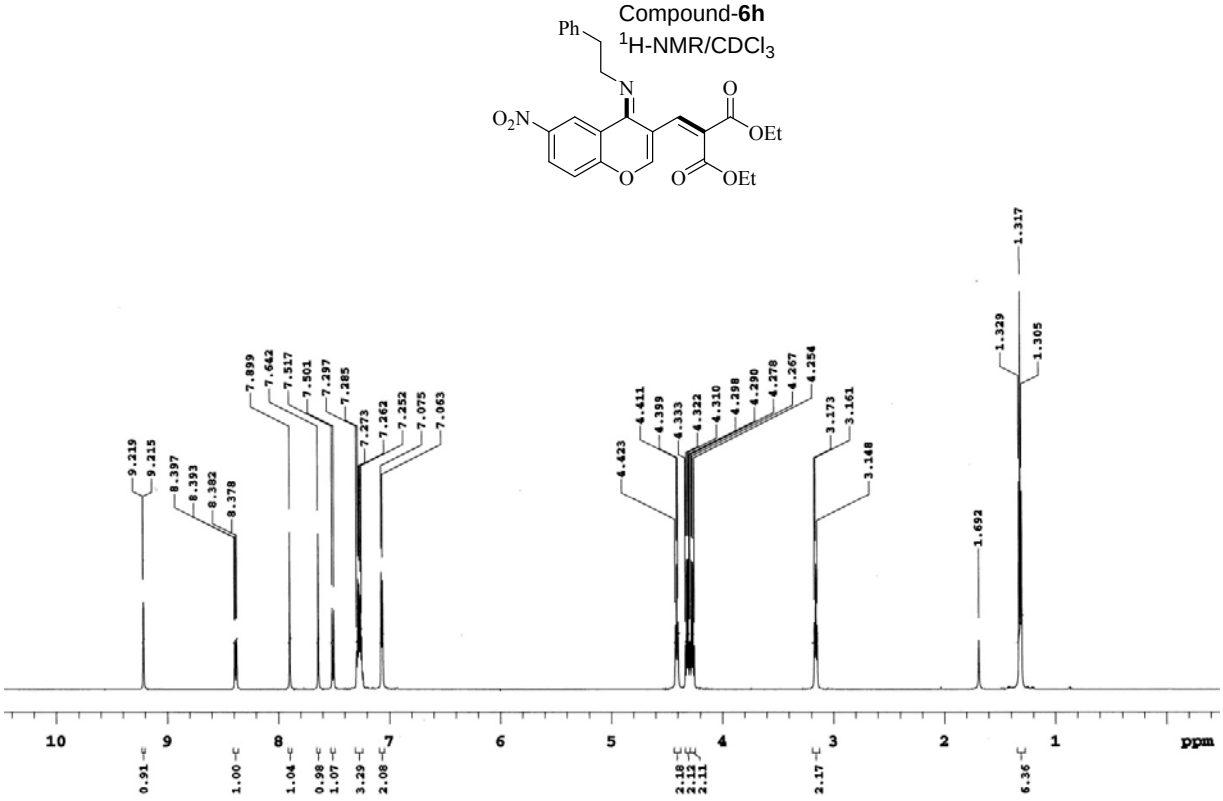
Compound-**6g**

^{13}C-NMR/$CDCl_3$, Expansion



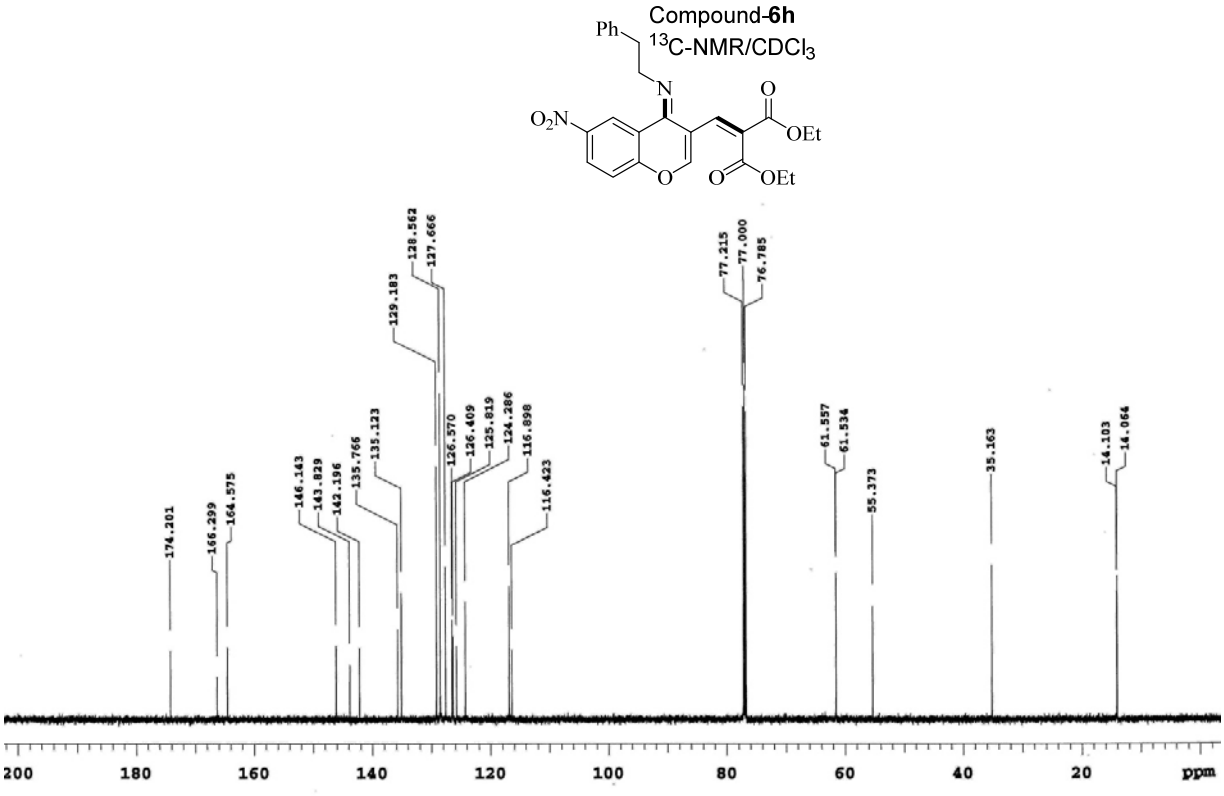
Compound-**6h**

^{1}H-NMR/$CDCl_3$



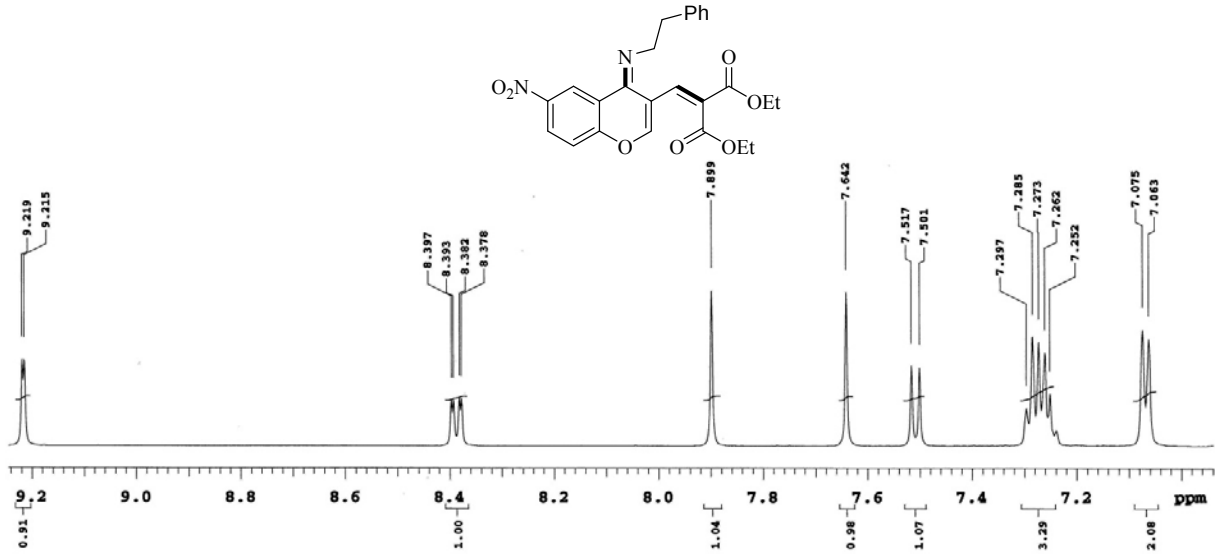
Compound-**6h**

^{13}C-NMR/$CDCl_3$



Compound-**6h**

^{1}H-NMR/$CDCl_3$, Expansion



Compound-**6h**

^{13}C-NMR/$CDCl_3$, Expansion