

Supporting information

I₂-promoted aerobic oxidative coupling of acetophenes with amines under metal-free conditions: A facial access to α -ketoamides

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General experimental

All general reagents and solvents were commercially available and used as received. ^1H and ^{13}C NMR spectra were measured on magnet system 400/54 ascend instrument purchased from Bruker Biospin AG. Chemical data for protons are reported in parts per million (ppm) downfield from tetramethylsilane and are referenced to the residual proton in the NMR solvent (CDCl_3 , 7.26 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, dt = doublet of triplets, ddt = doublet of doublet of triplets, dtd = doublet of triplet of doublets, m = multiplet, br = broad), coupling constant (J) in Hertz (Hz), and integration. ^{13}C NMR were recorded at 125 MHz or 100 MHz and chemical data for carbons are reported in parts per million (ppm, δ scale) downfield from tetramethylsilane and are referenced to the carbon resonance of the solvent. Column chromatography was generally performed on Silicycle silica gel (200-300 mesh). Analytical thin-layer chromatography (TLC) was performed on 0.2 mm coated silica gel plates (HSGF 254) and visualized the course of the reactions using a UV light (254 nm or 365 nm). ESI-MS spectra were recorded on Agilent Q-TOF 6520.

Experimental procedures for synthesis of α -ketoamides

Acetophenones 1 (1.0 mmol), amine 2 (5.0 mmol) and iodine (0.508 g, 2.0 mmol) were dissolved in 4 ml of 1,4-dioxane and stirred at 90°C for 16h under O_2 atmosphere (O_2 balloon) with rubber plug sealed. To determine the status of the reaction, it was monitored by TLC. After its completion, reaction mixture was cooled to room temperature then quenched with saturated $\text{Na}_2\text{S}_2\text{O}_3$ solution and extracted with ethyl acetate. Organic layer was washed with brine solution and dried over Na_2SO_4 . Removal of the organic solvent in a vacuum rotavaper followed by flash silica gel column chromatographic purification (hexane/ethyl acetate 5:1) afforded the desired product in good yields (73-93%).

Screening the equivalent of amines

Entry	Promoter	Solvent	Temp ($^\circ\text{C}$)	Morpholine (equiv.)	T (h)	Yield (%)
1	I_2	1,4-Dioxane	90	1.0	16	trace
2	I_2	1,4-Dioxane	90	2.0	16	28
3	I_2	1,4-Dioxane	90	3.0	16	36
4	I_2	1,4-Dioxane	90	4.0	16	63
5	I_2	1,4-Dioxane	90	5.0	16	91
6	I_2	1,4-Dioxane	90	6.0	16	90

Reaction conditions: 1.0 mmol acetophenone 1a, morpholine 2a and 2.0 mmol iodine in 4.0 mL solvent with O_2 balloon protected were heated for 16 h.

LC-ESI-MS/MS analysis

LC-MS analysis was performed on Agilent 6520 Accurate-Mass Q-TOF LC/MS (Agilent Technologies, USA) triple-stage quadrupole mass spectrometer equipped with electrospray ionization. ESI interface and liquid chromatography analyses were carried out using an Agilent 1260 Infinity (Agilent, USA) quaternary pump equipped with an auto sampler, column heater and online degasser. Analytical chromatographic separations of samples were carried out on a chromolith performance Eclipse XDB-C18 column (4.6 x 50 mm, Agilent) protected by a chromolith guard column of the same company. The flow rate was optimized to 1.0 mL/min. The mobile phase consisted of solvent A (water) and solvent B (acetonitrile). A gradient programme was used as follows: 0–15 min, 10–60% B; 15–20 min, 60% B; 20–22 min, 60–10% B and 25–25 min, 10% B. The injection volume was 5 μ L and the column temperature was maintained at 30 $^{\circ}$ C.

A 6520 Accurate-Mass Q-TOF LC/MS system from Agilent was used for the LC-ESI-MS analysis, which is a hybrid quadrupole mass spectrometer. The analyses were performed using an electrospray ionisation (ESI) source in positive and negative modes. The operation conditions were as follows: scan range of 50–1000 amu, ion source temperature 350 $^{\circ}$ C, nebulizer 45 psi, gas flow 10 L/min, capillary voltage 4000, collision gas nitrogen, dwell time of 50 ms and a step size of 0.1 amu. Nitrogen was used in all cases. Agilent Mass Hunter software (version B.04.00) was used for data acquisition and processing.

As shown in figure 1, MS analysis carried out for the reaction between acetophenone 1a and morpholine 2a under argon-protected conditions after 13-hours stir, three noticeable ion peaks were detected: An intense [M]⁺ ion peak (peak labeled as red star in Fig 1) with m/z 204 correspond to [M]⁺ ion peak of iminium ion; Other peak (labeled as green rhombus in Fig 1) with m/z 206 correspond to [M+H]⁺ ion peak of 2-morpholino-1-phenylethanone, indicating that they were important intermediates in the oxidative aerobic coupling process.

As shown in figure 2, LC-ESI-MS analysis performed for the reaction between acetophenone 1a and morpholine 2a under the optimized reaction conditions (2.0 equiv I₂, O₂ balloon protected, heated at 90 $^{\circ}$ C in 1, 4-dioxane) especially in the middle of the reaction (6h), it gave three noticeable ion peaks. Full-scan mass spectrum of these three peaks showed an intense [M]⁺ ion peak (peak labeled as green hexagon in Fig 2) with m/z 204 correspond to [M]⁺ ion peak of iminium ion (20.2-20.6 min). And the peak labeled as black hexagon with m/z 206 correspond to [M+H]⁺ ion peak of 2-morpholino-1-phenylethanone (8.1-8.6 min). The other intense [M+H]⁺ ion peak with m/z 220 and [M+Na]⁺ ion peak with m/z 242 was the desired α -ketoamide product 3a (12.4-12.8 min). The results fully demonstrate that reaction triggers with generation of iminium ion followed by conversion to product. Both iminium ion and 2-morpholino-1-phenylethanone were important intermediates in this reaction.

Figure 1 MS analysis of the reaction under argon balloon protected

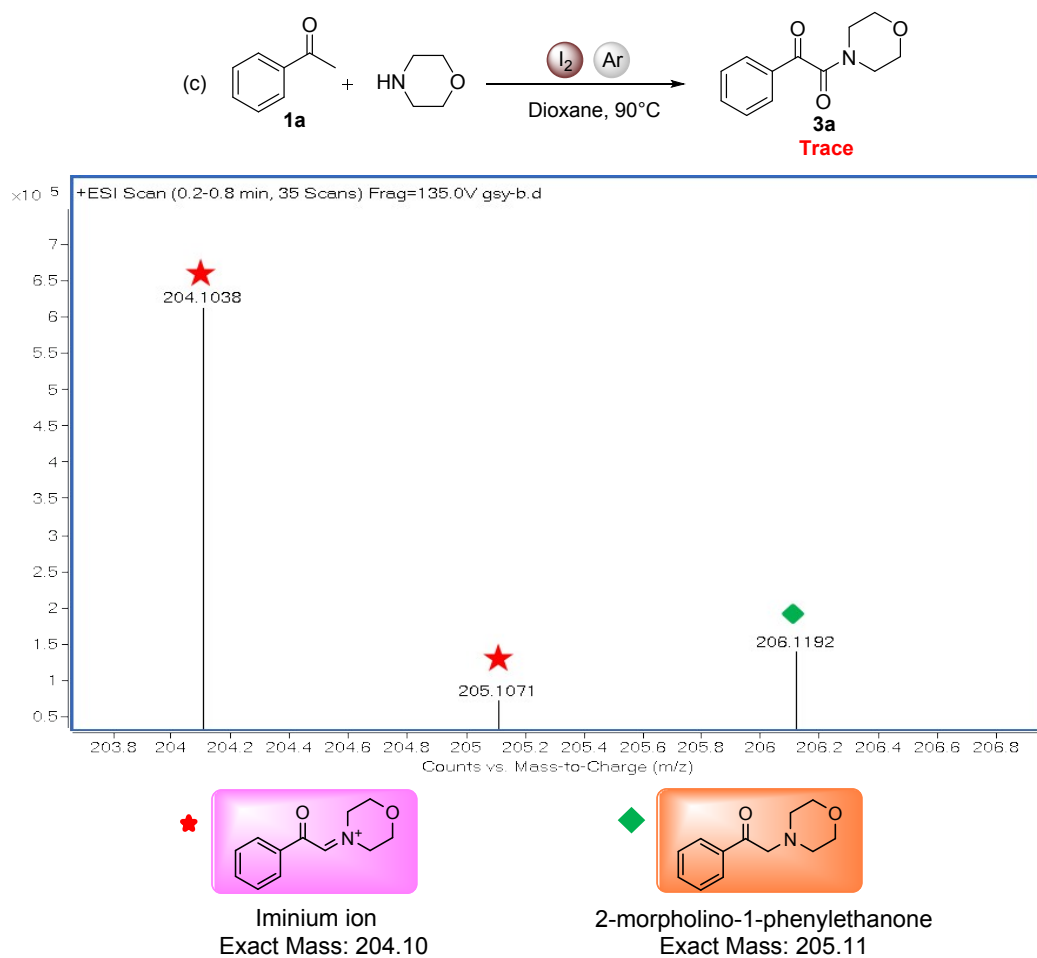
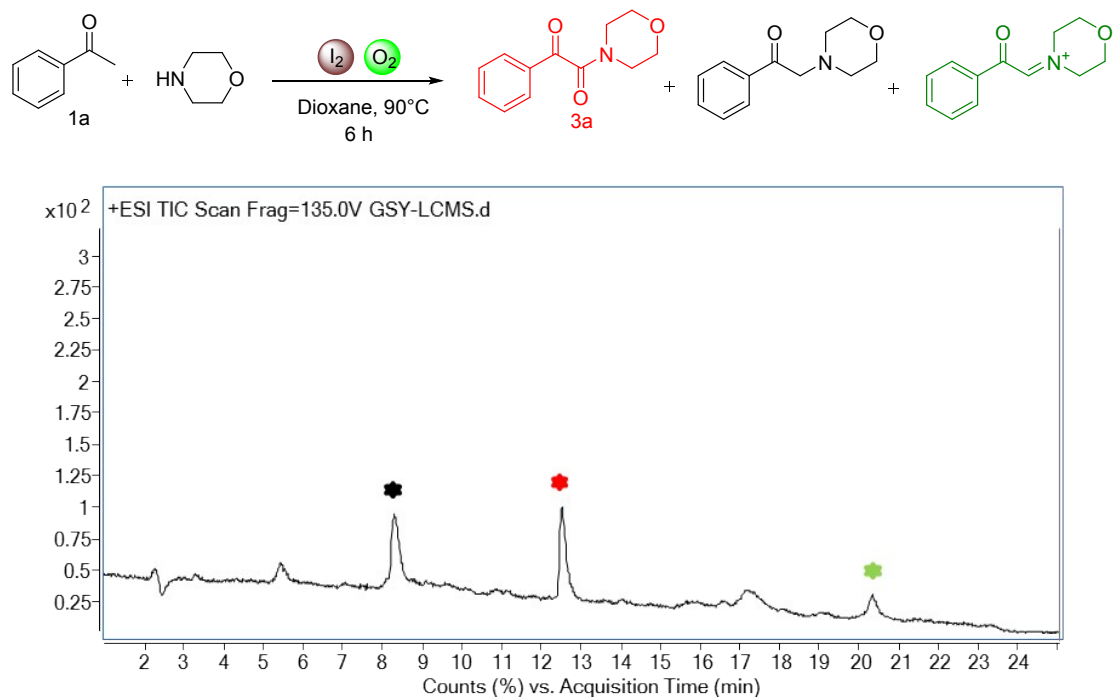
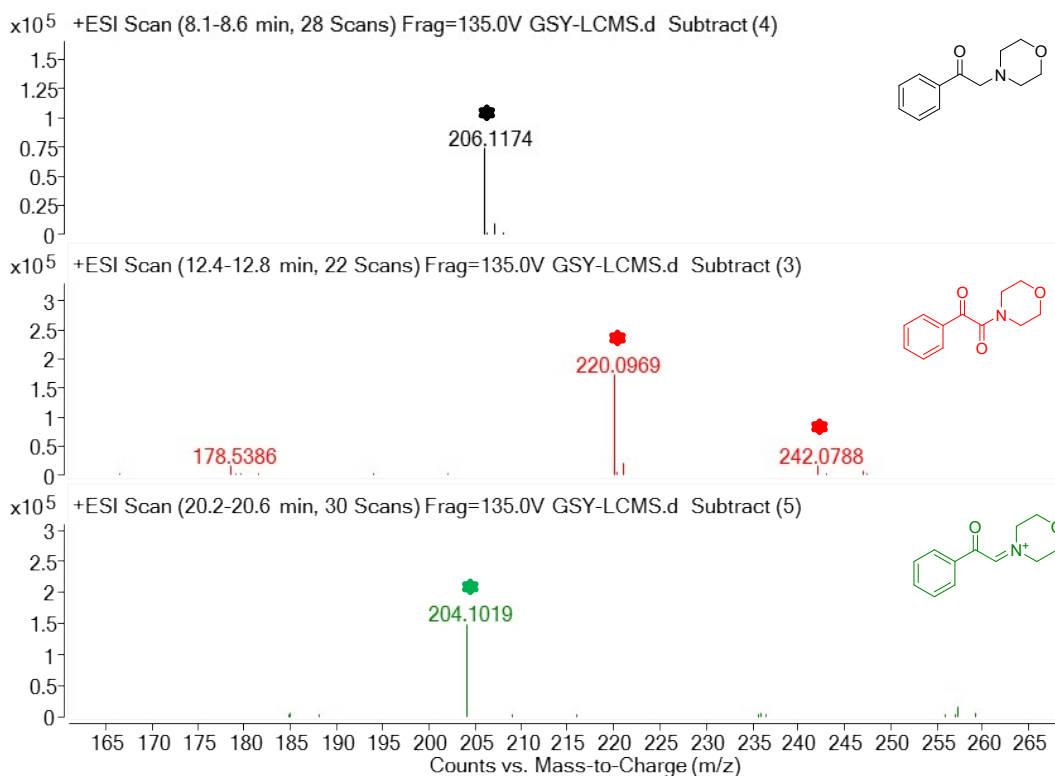
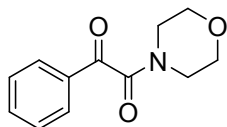


Figure 2 LC-ESI-MS analysis of the process for compound 3a



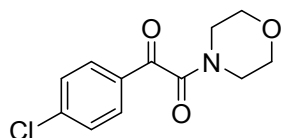


Analytical data for compounds 3



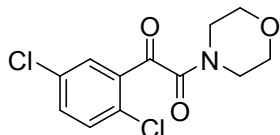
1-(2-morpholinoethyl)benzoyl chloride (3a)^[1]:

Yellow solid (199 mg, 91% yield); ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, J = 7.3 Hz, 2H), 7.65 (t, J = 7.4 Hz, 1H), 7.51 (t, J = 7.7 Hz, 2H), 3.78 (s, 4H), 3.67 – 3.60 (m, 2H), 3.40 – 3.33 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 191.29, 165.59, 135.08, 133.20, 129.82, 129.24, 66.88, 66.81, 46.41, 41.76; HRMS (TOF) m/z [M + Na]⁺ Calcd for C₁₂H₁₃NO₃ 242.0788 found 242.0783.



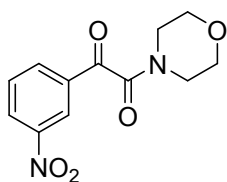
1-(4-chlorophenyl)-2-morpholinoethane-1,2-dione (3b)^[2]:

Yellow solid (235 mg, 93% yield); ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.85 (m, 2H), 7.56 – 7.46 (m, 2H), 3.82 – 3.74 (m, 4H), 3.69 – 3.63 (m, 2H), 3.42 – 3.34 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 188.83, 164.04, 140.76, 130.61, 130.18, 128.64, 65.88, 65.79, 45.43, 40.85; HRMS (TOF) m/z [M + Na]⁺ Calcd for C₁₂H₁₂ClNO₃ 276.0398 found 276.0374.



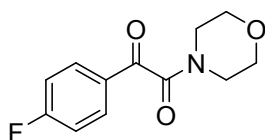
1-(2,5-dichlorophenyl)-2-morpholinoethane-1,2-dione (3c):

Yellow solid (260 mg, 90% yield); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.73 (d, $J = 8.5$ Hz, 1H), 7.39 (dd, $J = 9.4, 7.7$ Hz, 1H), 7.34 – 7.25 (m, 1H), 3.74 – 3.61 (m, 6H), 3.47 (d, $J = 3.9$ Hz, 2H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 187.39, 163.81, 134.03, 133.29, 132.93, 130.92, 130.90, 130.67, 65.38, 65.33, 45.28, 41.13; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{12}\text{H}_{11}\text{Cl}_2\text{NO}_3$ 288.0189 found 288.0165.



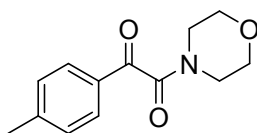
1-morpholino-2-(3-nitrophenyl) ethane-1,2-dione (3d)^[1]:

Yellow solid (235mg, 89% yield); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.78 (t, $J = 1.8$ Hz, 1H), 8.48 (ddd, $J = 8.2, 2.2, 1.0$ Hz, 1H), 8.34 – 8.27 (m, 1H), 7.74 (t, $J = 8.0$ Hz, 1H), 3.83 – 3.79 (m, 4H), 3.72 – 3.67 (m, 2H), 3.46 – 3.42 (m, 2H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 188.25, 164.00, 148.73, 135.24, 134.66, 130.48, 128.96, 124.64, 66.85, 66.74, 46.48, 42.10; HRMS (TOF) m/z $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{12}\text{H}_{12}\text{N}_2\text{O}_5$ 287.0638 found 287.0623.



1-(4-fluorophenyl)-2-morpholinoethane-1,2-dione (3e)^[1]:

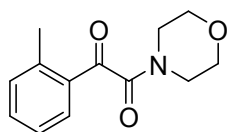
Yellow solid (218 mg, 92% yield); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.06 – 7.95 (m, 2H), 7.19 (t, $J = 8.5$ Hz, 2H), 3.79 (s, 4H), 3.70 – 3.62 (m, 2H), 3.43 – 3.34 (m, 2H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 189.50, 166.94 (d, $J = 257$ Hz), 165.22, 132.74, 132.64, 129.77, 129.74, 116.70, 116.48, 66.89, 66.79, 46.44, 41.84; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{12}\text{H}_{12}\text{FNO}_3$ 238.0874 found 238.0876.



1-morpholino-2-(*p*-tolyl) ethane-1,2-dione (3f)^[5]:

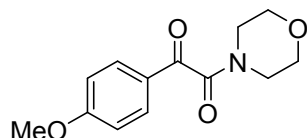
Yellow solid (203 mg, 87% yield); $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.83 (d, $J = 8.1$ Hz, 2H), 7.30 (d, $J = 8.2$ Hz, 2H), 3.77 (s, 4H), 3.64 – 3.60 (m, 2H), 3.37 – 3.33 (m, 2H), 2.42 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 190.98, 165.75, 146.36, 130.73, 129.90, 129.86, 66.82,

66.74, 46.34, 41.64, 22.00; HRMS (TOF) m/z $[M + H]^+$ Calcd for $C_{13}H_{15}NO_3$ 234.1125 found 234.1106.



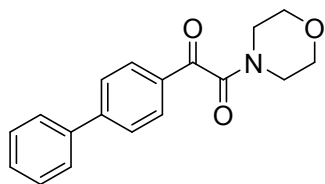
1-morpholino-2-(*o*-tolyl) ethane-1,2-dione (3g)^[1]:

Yellow solid (205 mg, 88% yield); 1H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, $J = 6.8$ Hz, 1H), 7.50 (t, $J = 6.9$ Hz, 1H), 7.33 (dd, $J = 10.0, 7.7$ Hz, 2H), 3.79 (d, $J = 3.1$ Hz, 4H), 3.69 – 3.65 (m, 2H), 3.42 – 3.37 (m, 2H), 2.66 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 193.21, 166.30, 141.75, 134.00, 132.82, 131.80, 131.58, 126.33, 66.77, 66.75, 46.38, 41.72, 21.94; HRMS (TOF) m/z $[M + H]^+$ Calcd for $C_{13}H_{15}NO_3$ 234.1125 found 234.1122.



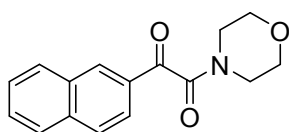
1-(4-methoxyphenyl)-2-morpholinoethane-1,2-dione (3h):

Yellow solid (212 mg, 85% yield); 1H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, $J = 8.9$ Hz, 2H), 6.98 (d, $J = 8.9$ Hz, 2H), 3.89 (s, 3H), 3.78 (s, 4H), 3.67 – 3.62 (m, 2H), 3.40 – 3.35 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 189.91, 165.89, 165.11, 132.23, 126.24, 114.51, 66.86, 66.76, 55.76, 46.38, 41.64; HRMS (TOF) m/z $[M + H]^+$ Calcd for $C_{13}H_{15}NO_4$ 250.1074 found 250.1072.



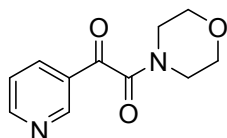
1-([1,1'-biphenyl]-4-yl)-2-morpholinoethane-1,2-dione (3i):

Yellow solid (221 mg, 75% yield); 1H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, $J = 8.2$ Hz, 2H), 7.74 (d, $J = 8.2$ Hz, 2H), 7.63 (d, $J = 7.2$ Hz, 2H), 7.45 (dt, $J = 24.5, 7.3$ Hz, 3H), 3.81 (s, 4H), 3.70 – 3.64 (m, 2H), 3.45 – 3.38 (m, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 190.85, 165.60, 147.78, 139.55, 131.88, 130.38, 129.17, 128.78, 127.83, 127.46, 66.89, 66.79, 46.42, 41.76; HRMS (TOF) m/z $[M + Na]^+$ Calcd for $C_{18}H_{17}NO_3$ 318.1101 found 318.1111.



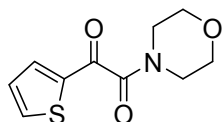
1-morpholino-2-(naphthalen-2-yl) ethane-1, 2-dione (3j):

Yellow solid (237 mg, 88% yield); ^1H NMR (400 MHz, CDCl_3) δ 8.46 (s, 1H), 8.04 – 7.86 (m, 4H), 7.61 (dt, $J = 28.4, 7.3$ Hz, 2H), 3.84 (s, 4H), 3.72 – 3.61 (m, 2H), 3.47 – 3.36 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 191.34, 165.70, 136.54, 133.16, 132.53, 130.53, 130.02, 129.66, 129.30, 128.08, 127.35, 123.68, 66.87, 66.82, 46.47, 41.83; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{15}\text{NO}_3$ 270.1125 found 270.1140.



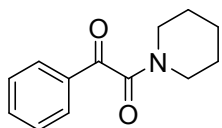
1-morpholino-2-(pyridin-3-yl) ethane-1,2-dione (3k)^[1]:

Yellow solid (161 mg, 73% yield); ^1H NMR (400 MHz, CDCl_3) δ 9.07 (s, 1H), 8.81 – 8.75 (m, 1H), 8.19 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.46 – 7.37 (m, 1H), 3.72 (s, 4H), 3.63 – 3.58 (m, 2H), 3.38 – 3.33 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 189.45, 164.13, 154.80, 151.17, 136.73, 128.77, 123.92, 66.68, 66.55, 46.25, 41.79; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{11}\text{H}_{12}\text{N}_2\text{O}_3$ 221.0921 found 221.0928.



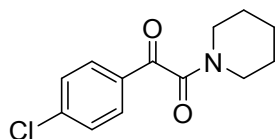
1-morpholino-2-(thiophen-2-yl) ethane-1,2-dione (3l)^[4]:

Yellow oil (205 mg, 91% yield); ^1H NMR (400 MHz, CDCl_3) δ 7.81 (ddd, $J = 5.9, 4.4, 1.0$ Hz, 2H), 7.17 (dd, $J = 4.8, 4.0$ Hz, 1H), 3.78 – 3.71 (m, 4H), 3.69 – 3.62 (m, 2H), 3.51 – 3.44 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 182.90, 164.41, 140.36, 136.87, 136.37, 128.82, 66.89, 66.70, 46.52, 42.03; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{10}\text{H}_{11}\text{NO}_3\text{S}$ 226.0532 found 226.0552.



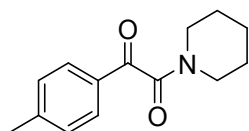
1-phenyl-2-(piperidin-1-yl) ethane-1,2-dione (3m)^[3]:

Yellow solid (180 mg, 83% yield); ^1H NMR (400 MHz, CDCl_3) δ 7.92 (d, $J = 1.4$ Hz, 2H), 7.65 – 7.59 (m, 1H), 7.49 (t, $J = 7.7$ Hz, 2H), 3.69 (s, 2H), 3.31 – 3.23 (m, 2H), 1.71 – 1.64 (m, 4H), 1.57 – 1.47 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 192.05, 165.54, 134.74, 133.36, 129.65, 129.09, 47.12, 42.24, 26.29, 25.54, 24.47; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{13}\text{H}_{15}\text{NO}_2$ 218.1184 found 218.1184.



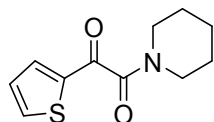
1-(4-chlorophenyl)-2-(piperidin-1-yl) ethane-1,2-dione (3n)^[2]:

Yellow oil (217 mg, 86% yield); ¹H NMR (400 MHz, CDCl₃) δ 7.92 – 7.85 (m, 2H), 7.48 (d, J = 8.5 Hz, 2H), 3.69 (d, J = 5.3 Hz, 2H), 3.30 – 3.24 (m, 2H), 1.71 – 1.66 (m, 4H), 1.58 – 1.50 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 190.65, 165.06, 141.37, 131.82, 131.05, 129.53, 47.18, 42.38, 26.38, 25.57, 24.48; HRMS (TOF) m/z [M + H]⁺ Calcd for C₁₃H₁₄ClNO₂ 252.0786 found 252.0795.



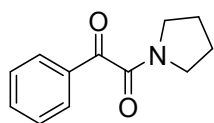
1-(piperidin-1-yl)-2-(p-tolyl) ethane-1,2-dione (3o)^[3]:

Yellow solid (194 mg, 84% yield); ¹H NMR (400 MHz, CDCl₃) δ 7.75 (d, J = 8.2 Hz, 2H), 7.22 (d, J = 7.9 Hz, 2H), 3.60 (t, J = 4.8 Hz, 2H), 3.21 – 3.15 (m, 2H), 2.34 (s, 3H), 1.63 – 1.56 (m, 4H), 1.44 (s, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 191.70, 165.62, 145.87, 130.80, 129.69, 129.61, 46.98, 42.03, 26.15, 25.41, 24.33, 21.84; HRMS (TOF) m/z [M + H]⁺ Calcd for C₁₄H₁₇NO₂ 232.1332 found 232.1342.



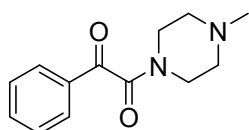
1-(piperidin-1-yl)-2-(thiophen-2-yl) ethane-1,2-dione (3p):

Yellow solid (201 mg, 90% yield); ¹H NMR (400 MHz, CDCl₃) δ 7.84 – 7.72 (m, 2H), 7.21 – 7.13 (m, 1H), 3.67 (t, J = 5.2 Hz, 2H), 3.40 – 3.31 (m, 2H), 1.72 – 1.64 (m, 4H), 1.59 – 1.53 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 184.03, 164.55, 140.68, 136.31, 135.94, 128.69, 47.27, 42.52, 26.35, 25.53, 24.43; HRMS (TOF) m/z [M + Na]⁺ Calcd for C₁₁H₁₃NO₂S 246.0559 found 246.0556.



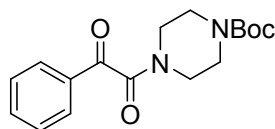
1-phenyl-2-(pyrrolidin-1-yl) ethane-1,2-dione (3q)^[4]:

Yellow solid (151 mg, 74% yield); ¹H NMR (400 MHz, CDCl₃) δ 8.05 – 7.94 (m, 2H), 7.62 (t, J = 8.0 Hz, 1H), 7.48 (t, J = 7.7 Hz, 2H), 3.64 (t, J = 6.8 Hz, 2H), 3.40 (t, J = 6.2 Hz, 2H), 2.00 – 1.87 (m, 4H); HRMS (TOF) m/z [M + H]⁺ Calcd for C₁₂H₁₃NO₂ 204.1019 found 204.1026.



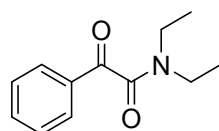
1-(4-methylpiperazin-1-yl)-2-phenylethane-1,2-dione (3r)^[7]:

Yellow solid (186 mg, 80% yield); ^1H NMR (400 MHz, CDCl_3) δ 7.96 – 7.87 (m, 2H), 7.61 (t, J = 7.4 Hz, 1H), 7.48 (t, J = 7.7 Hz, 2H), 3.82 – 3.71 (m, 2H), 3.39 – 3.28 (m, 2H), 2.51 – 2.45 (m, 2H), 2.36 – 2.32 (m, 2H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 191.54, 165.44, 134.88, 133.16, 129.69, 129.11, 54.95, 54.49, 46.04, 45.81, 41.20; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{13}\text{H}_{16}\text{N}_2\text{O}_2$ 233.1285 found 233.1281.



tert-butyl 4-(2-oxo-2-phenylacetyl)piperazine-1-carboxylate (3s)^[7]:

Yellow solid (287 mg, 90% yield); ^1H NMR (400 MHz, CDCl_3) δ 7.94 (dd, J = 8.3, 1.2 Hz, 2H), 7.65 (t, J = 7.4 Hz, 1H), 7.51 (t, J = 7.7 Hz, 2H), 3.78 – 3.70 (m, 2H), 3.58 – 3.51 (m, 2H), 3.45 – 3.39 (m, 2H), 3.36 – 3.28 (m, 2H), 1.45 (s, 9H); ^{13}C NMR (101 MHz, CDCl_3) δ 191.27, 165.70, 154.47, 135.09, 133.08, 129.78, 129.21, 80.72, 45.86, 41.29, 28.42; HRMS (TOF) m/z $[\text{M} + \text{Na}]^+$ Calcd for $\text{C}_{17}\text{H}_{22}\text{N}_2\text{O}_4$ 341.1472 found 341.1489.

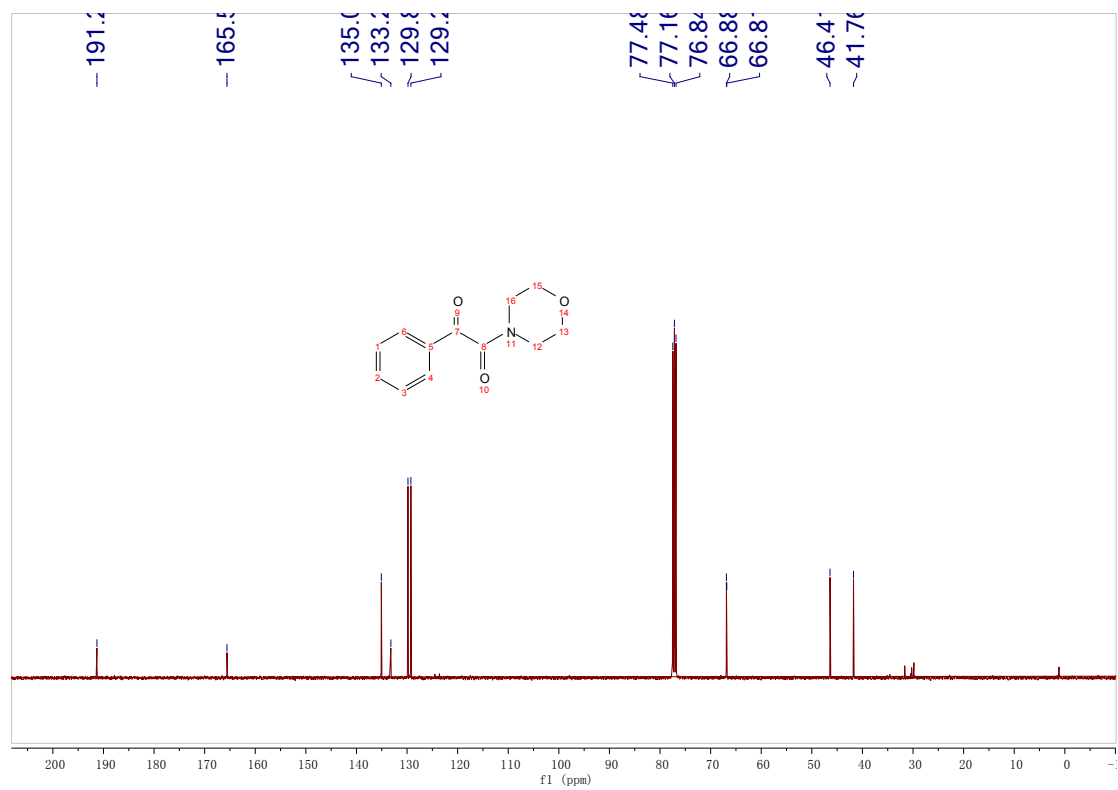
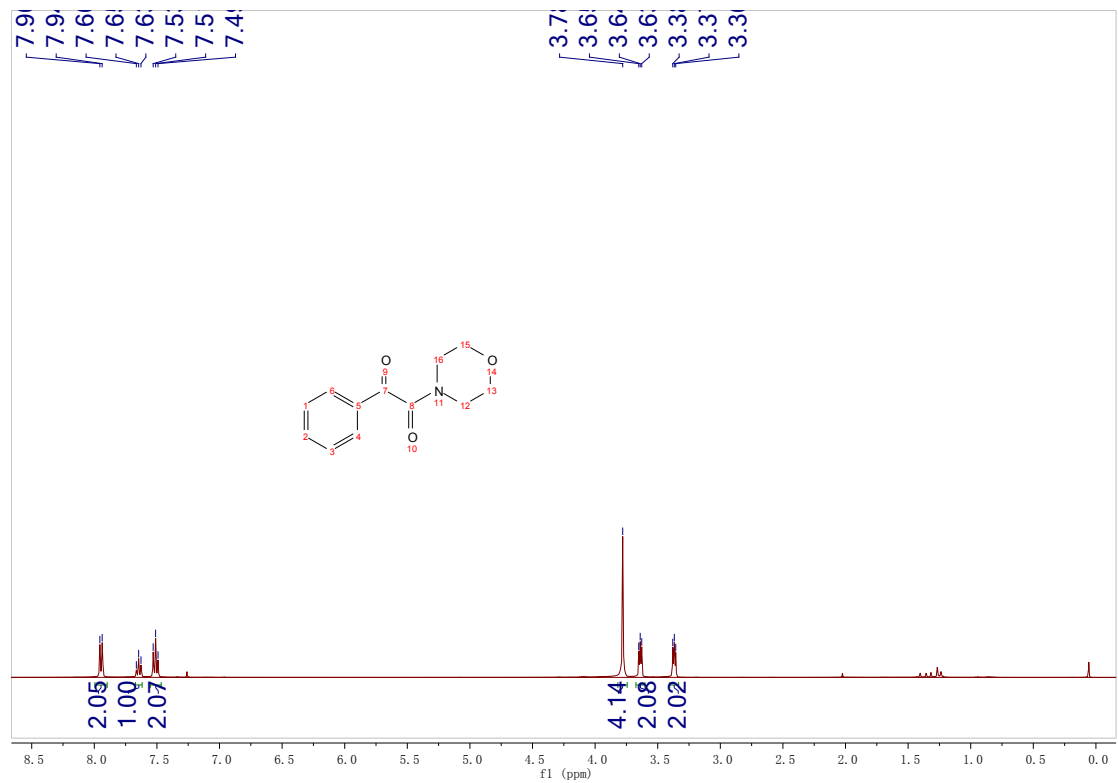


N,N-diethyl-2-oxo-2-phenylacetamide (3t)^[5]:

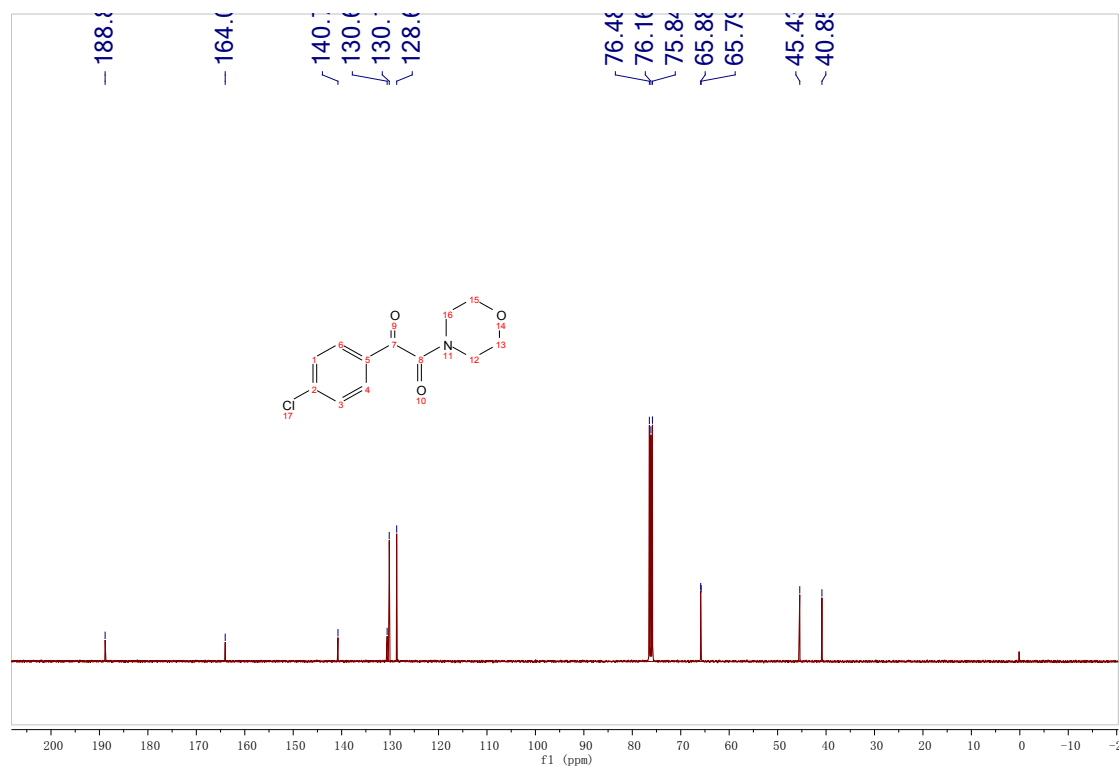
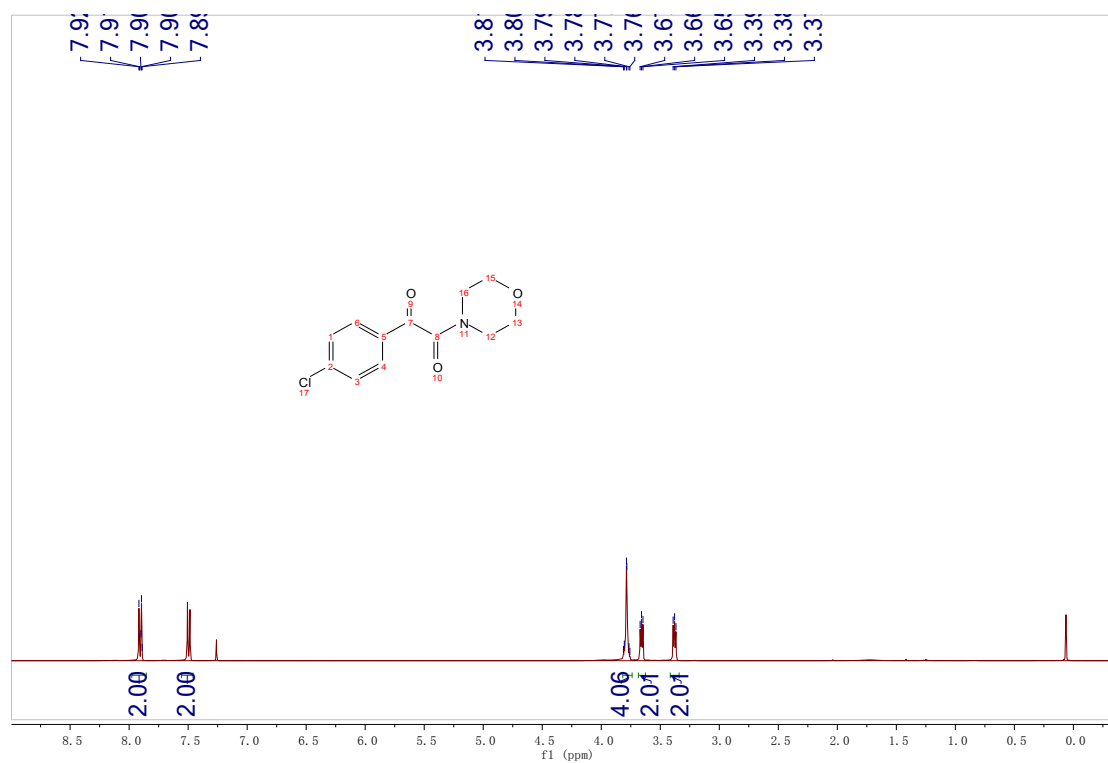
Yellow solid (160 mg, 78% yield); ^1H NMR (400 MHz, CDCl_3) δ 7.97 – 7.85 (m, 2H), 7.60 (t, J = 7.4 Hz, 1H), 7.47 (t, J = 7.7 Hz, 2H), 3.53 (q, J = 7.2 Hz, 2H), 3.21 (q, J = 7.1 Hz, 2H), 1.25 (t, J = 7.2 Hz, 3H), 1.12 (t, J = 7.1 Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 191.66, 166.80, 134.64, 133.28, 129.64, 129.01, 42.16, 38.85, 14.14, 12.87; HRMS (TOF) m/z $[\text{M} + \text{H}]^+$ Calcd for $\text{C}_{12}\text{H}_{15}\text{NO}_2$ 206.1176 found 206.1191.

¹H NMR and ¹³C NMR spectra of those compounds

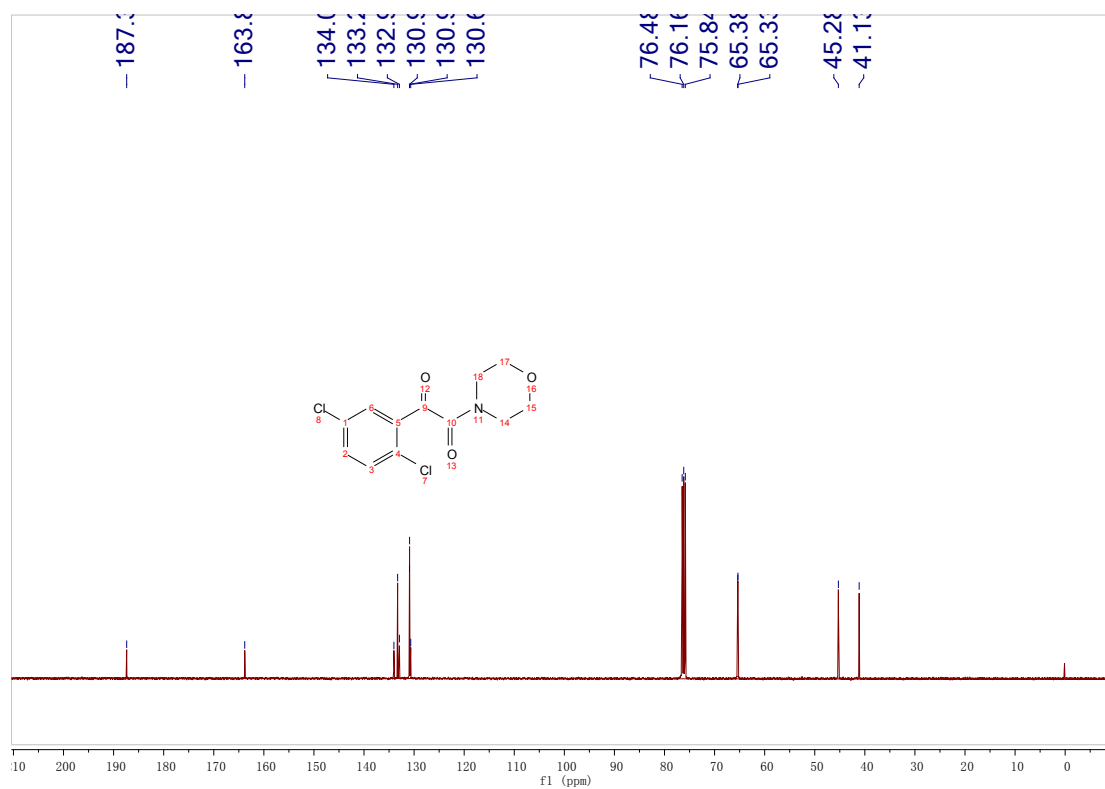
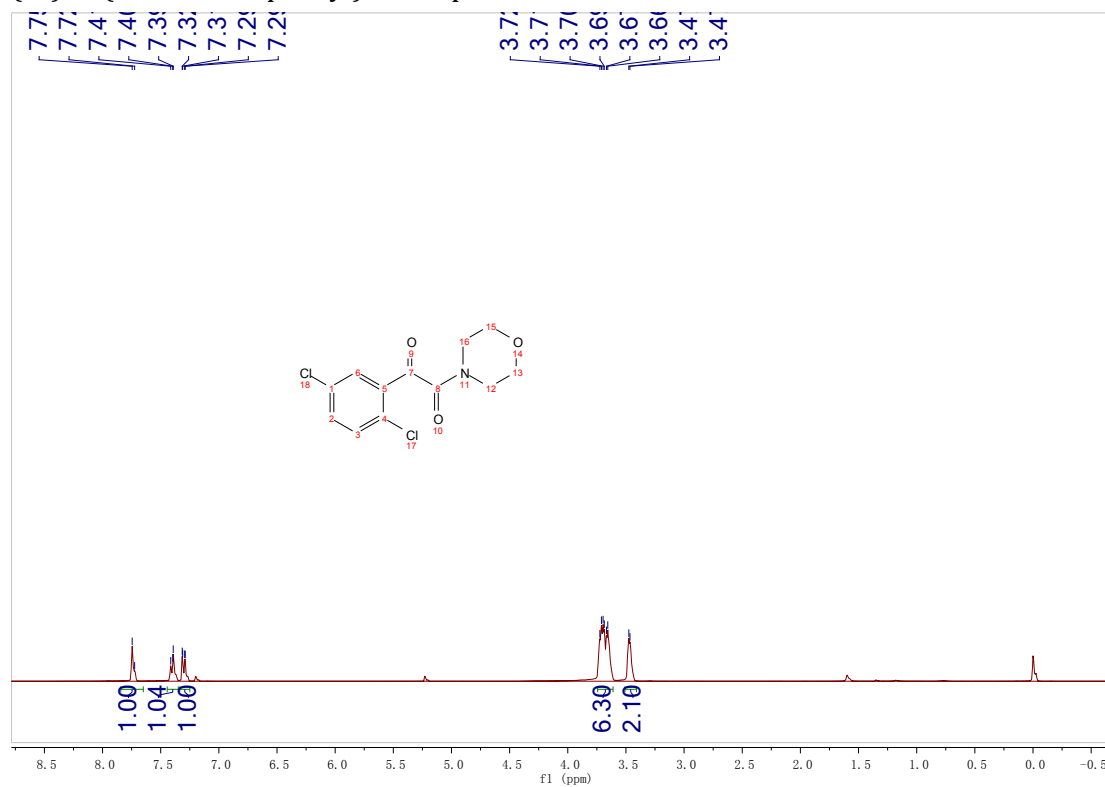
(3a): 1-morpholino-2-phenylethane-1,2-dione



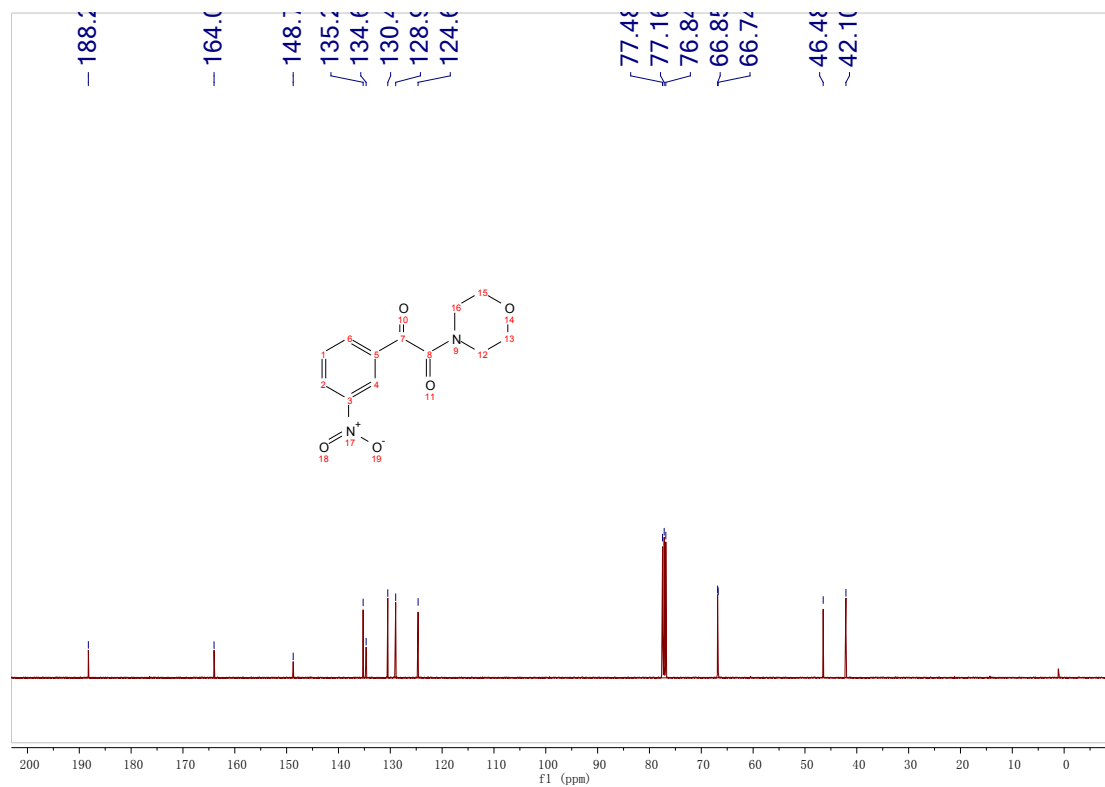
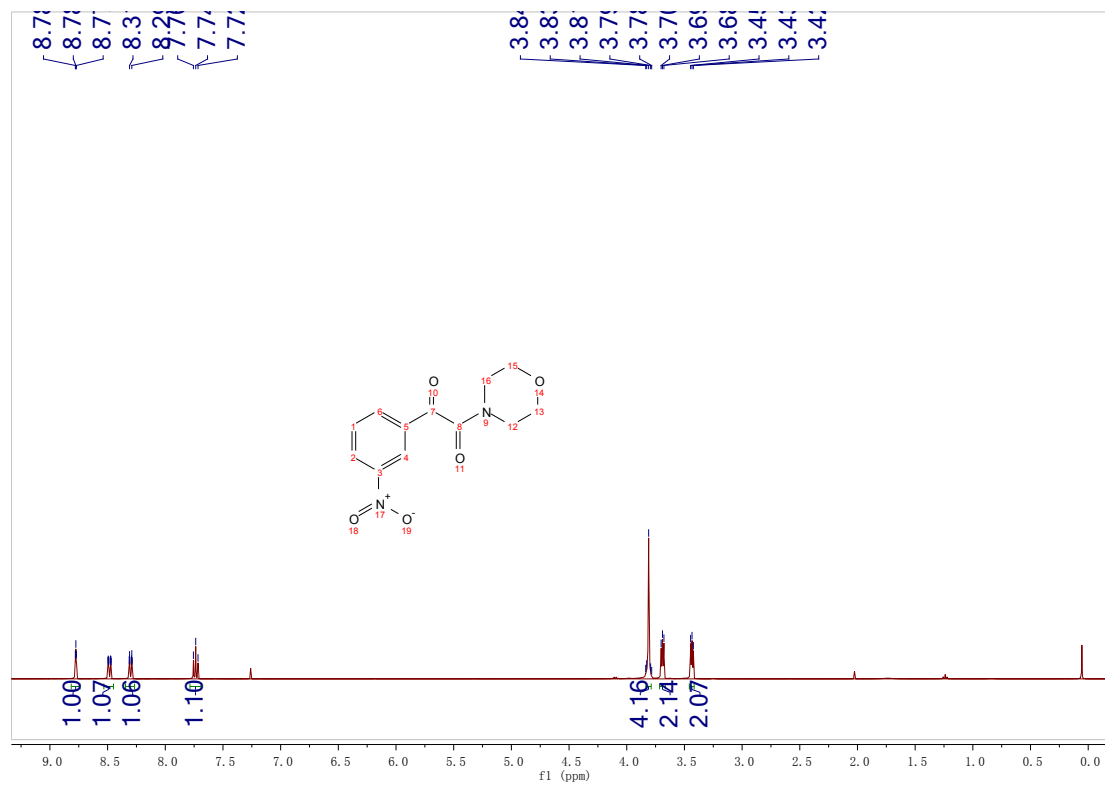
(3b): 1-(4-chlorophenyl)-2-morpholinoethane-1,2-dione



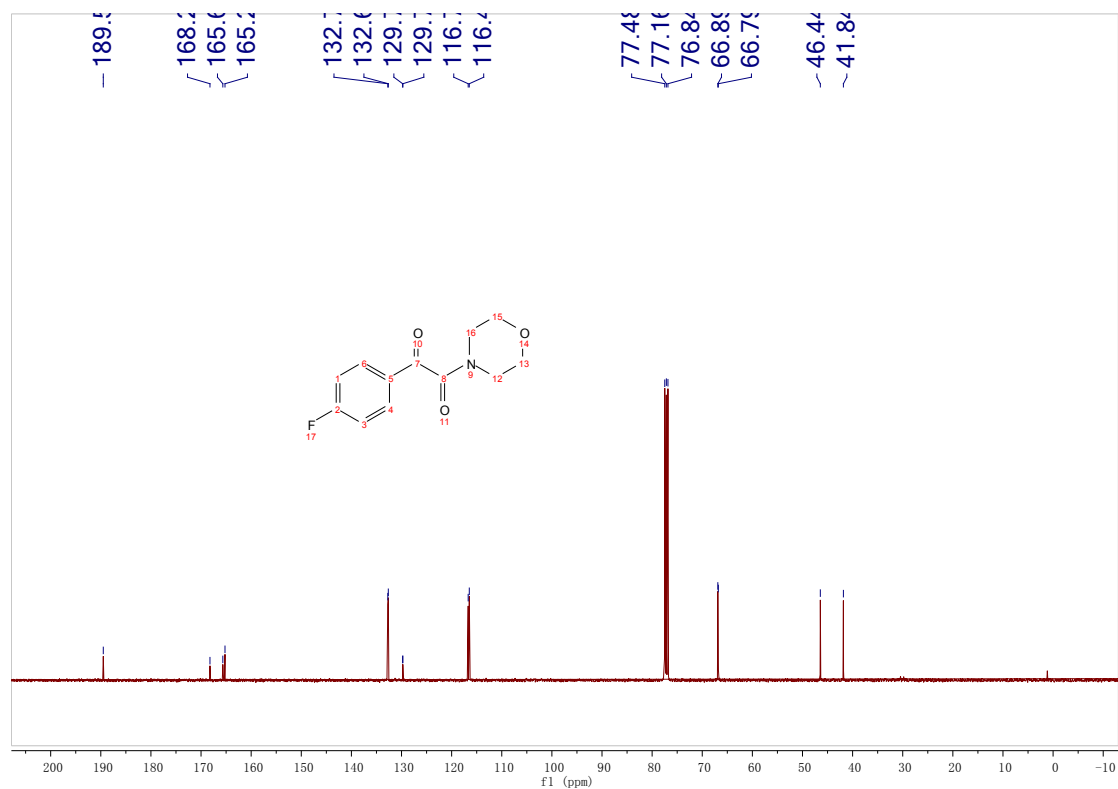
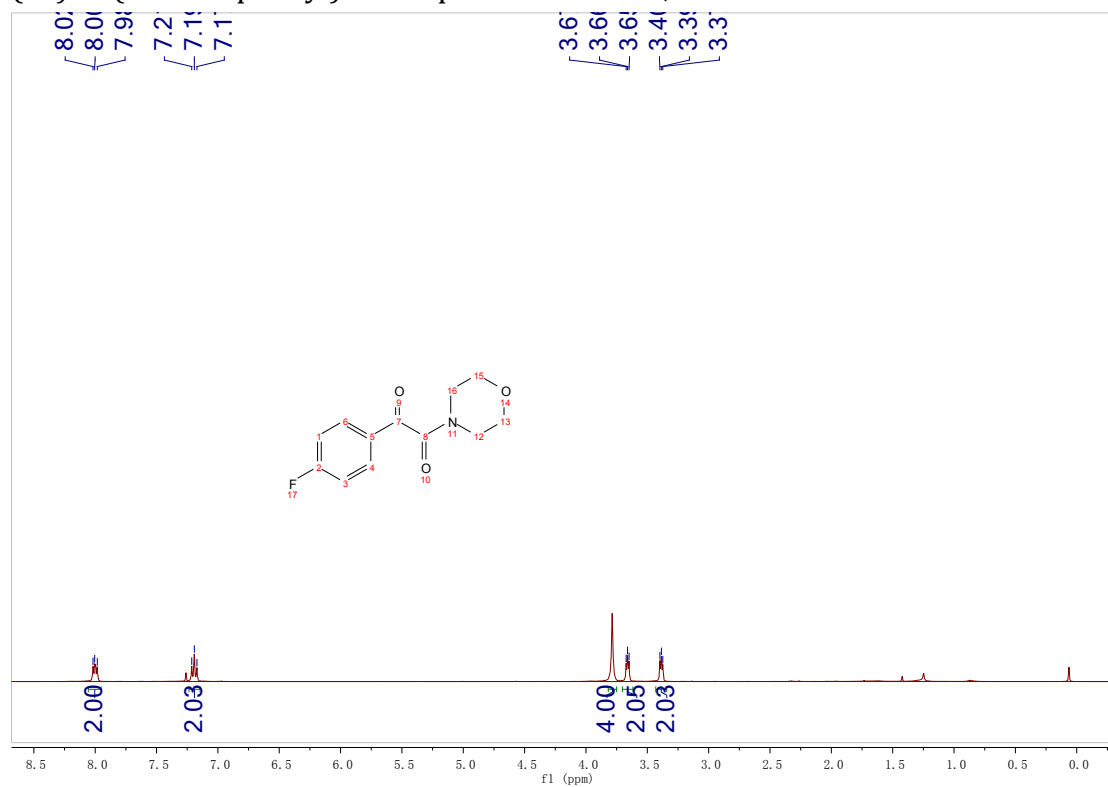
(3c): 1-(2,5-dichlorophenyl)-2-morpholinoethane-1,2-dione



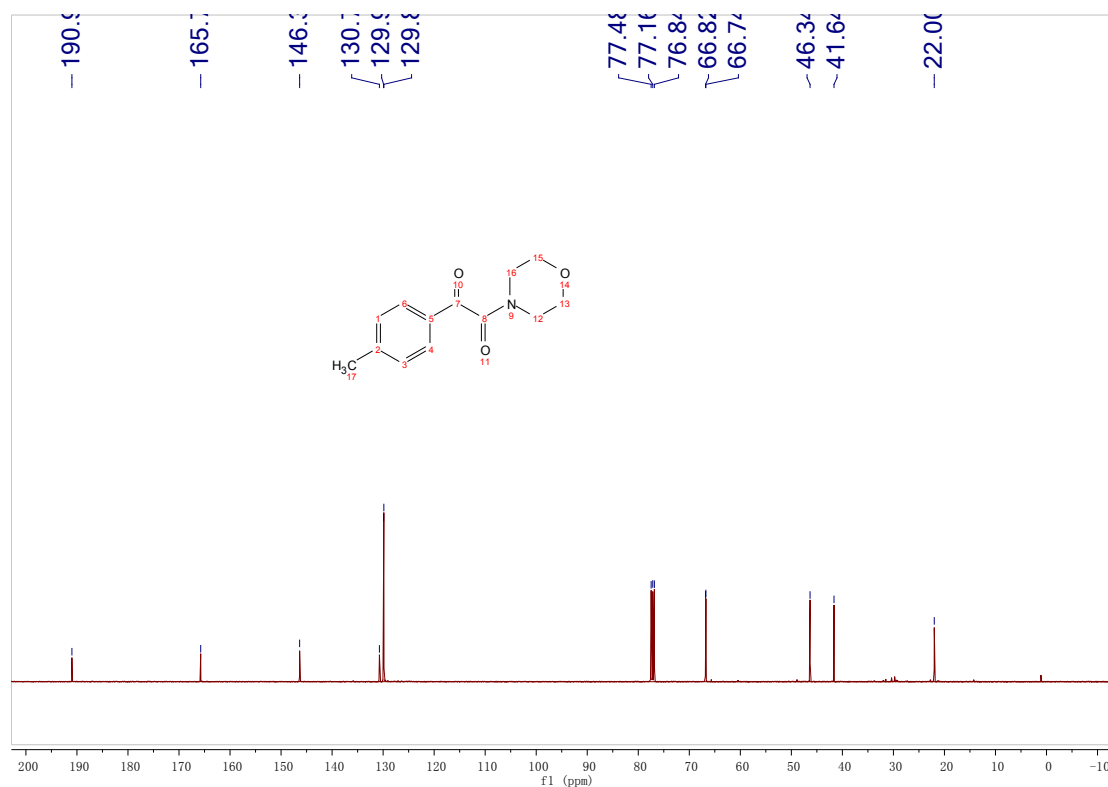
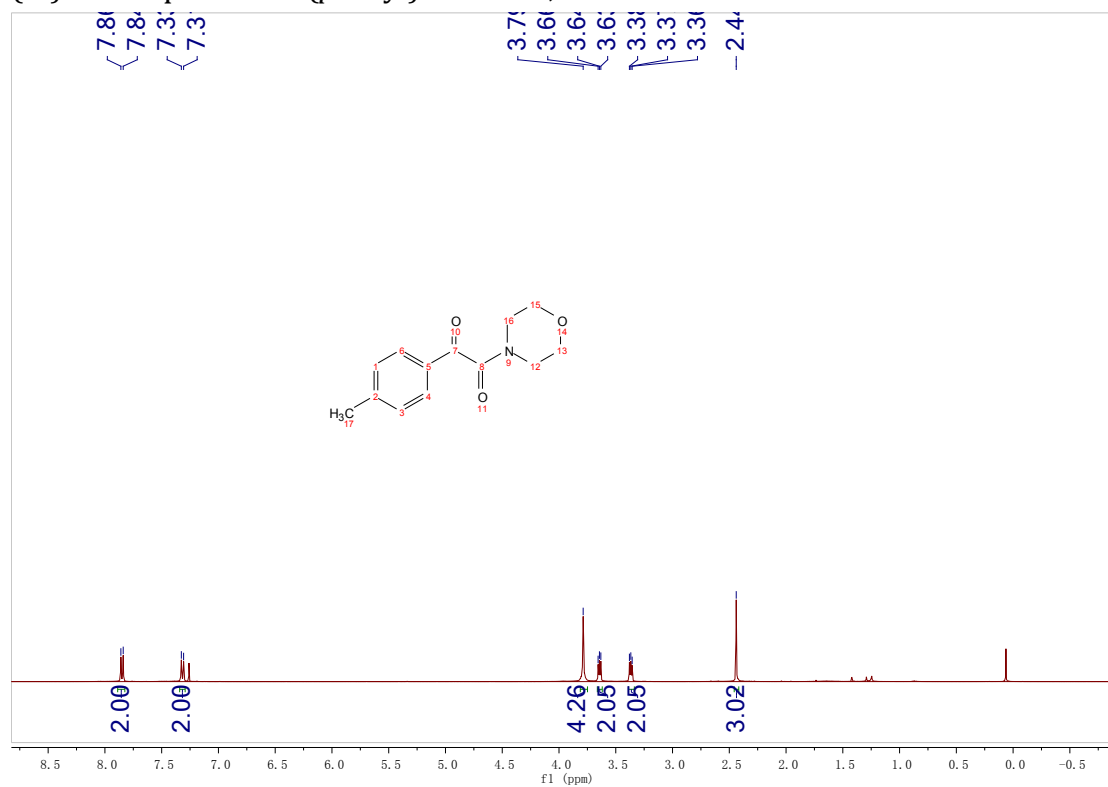
(3d): 1-morpholino-2-(3-nitrophenyl)ethane-1,2-dione



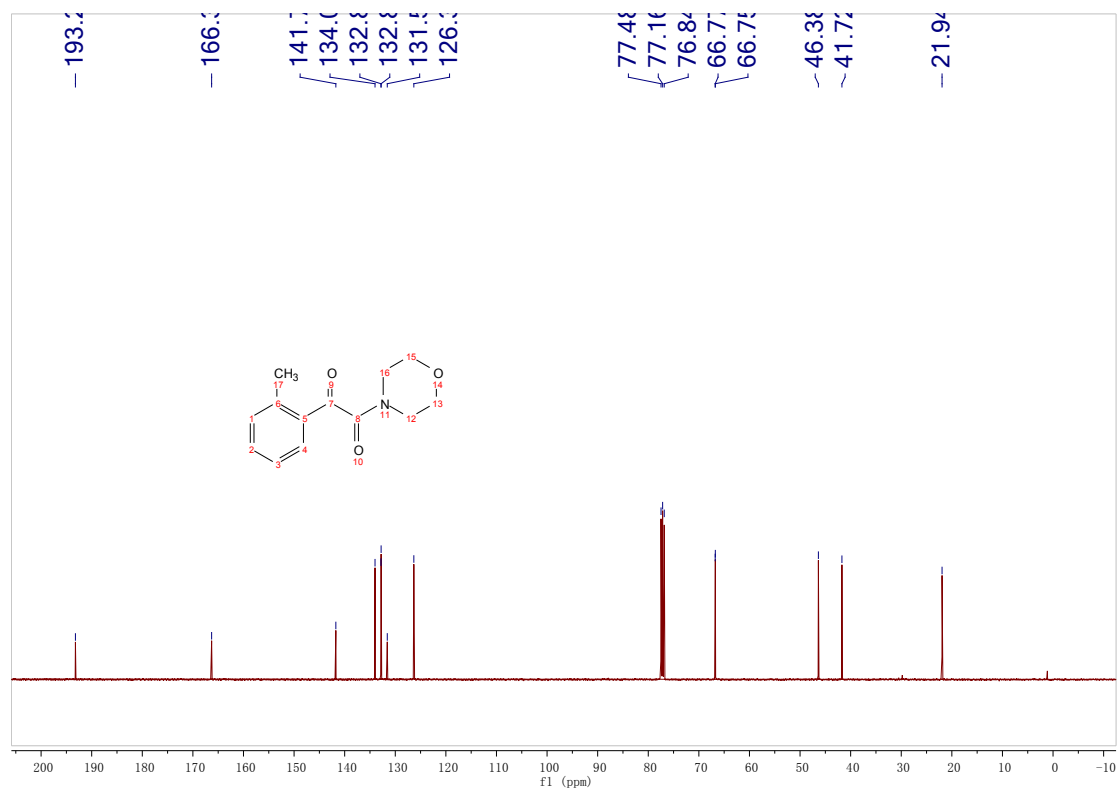
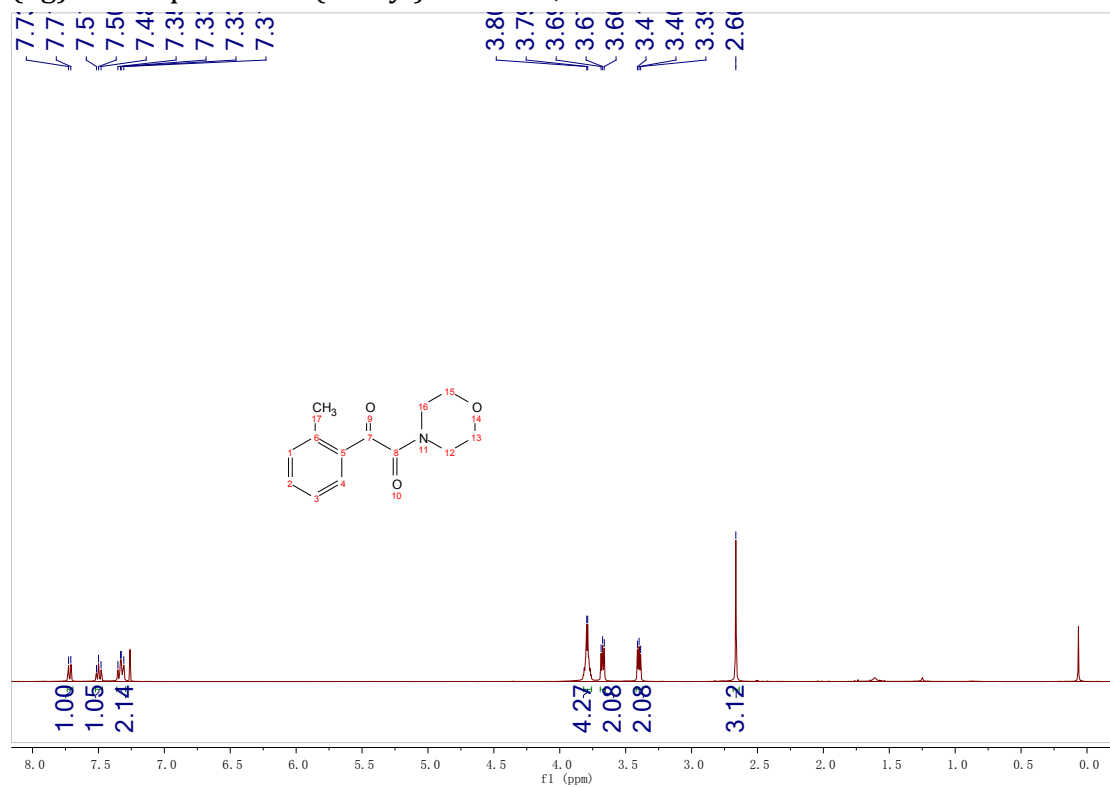
(3e): 1-(4-fluorophenyl)-2-morpholinoethane-1,2-dione



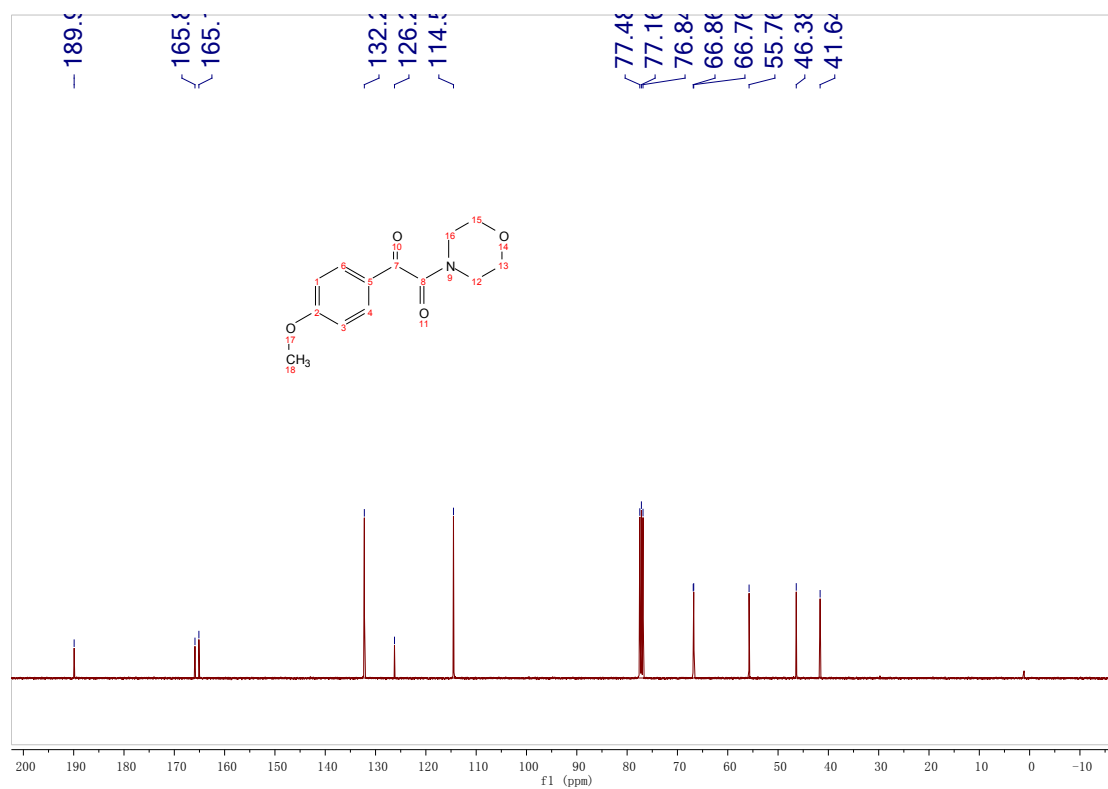
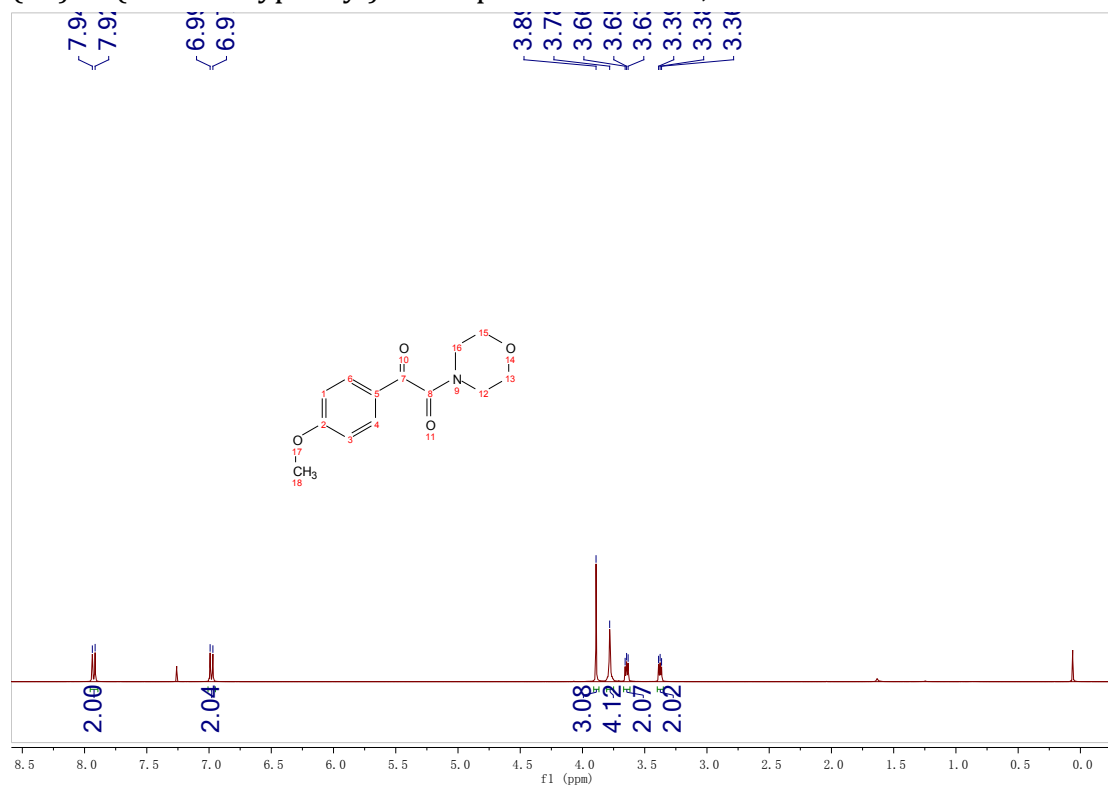
(3f): 1-morpholino-2-(*p*-tolyl) ethane-1,2-dione



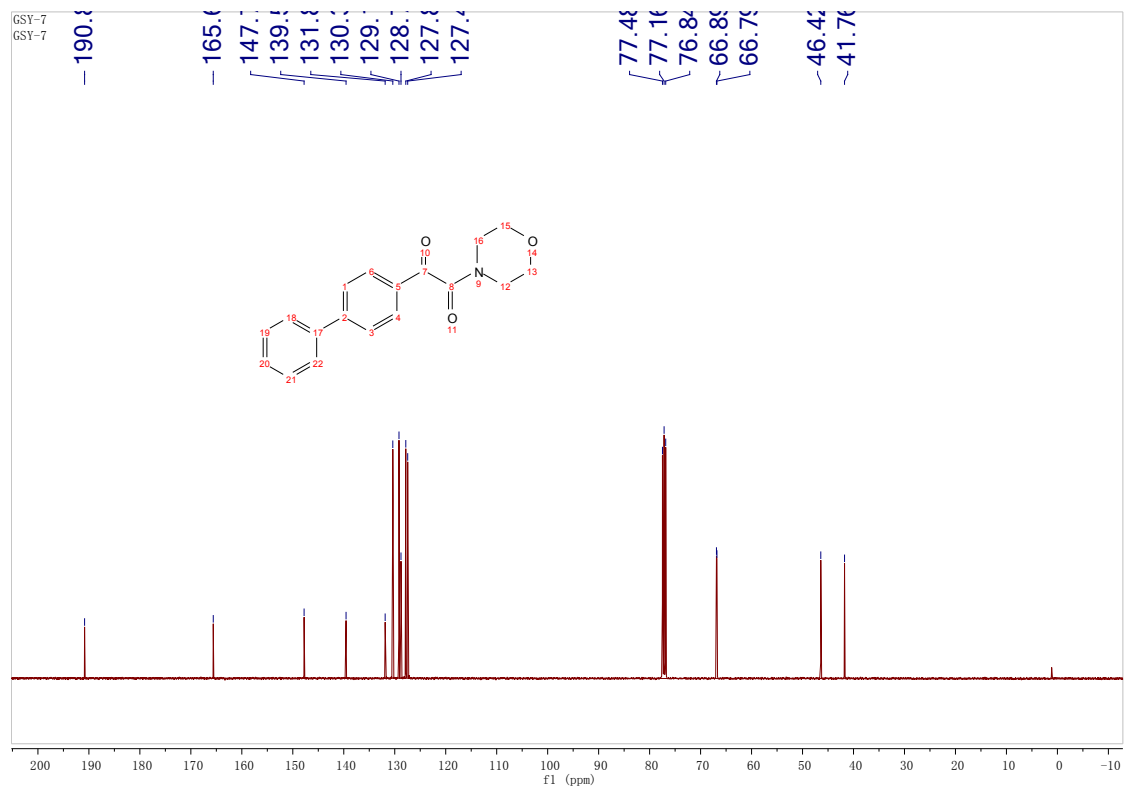
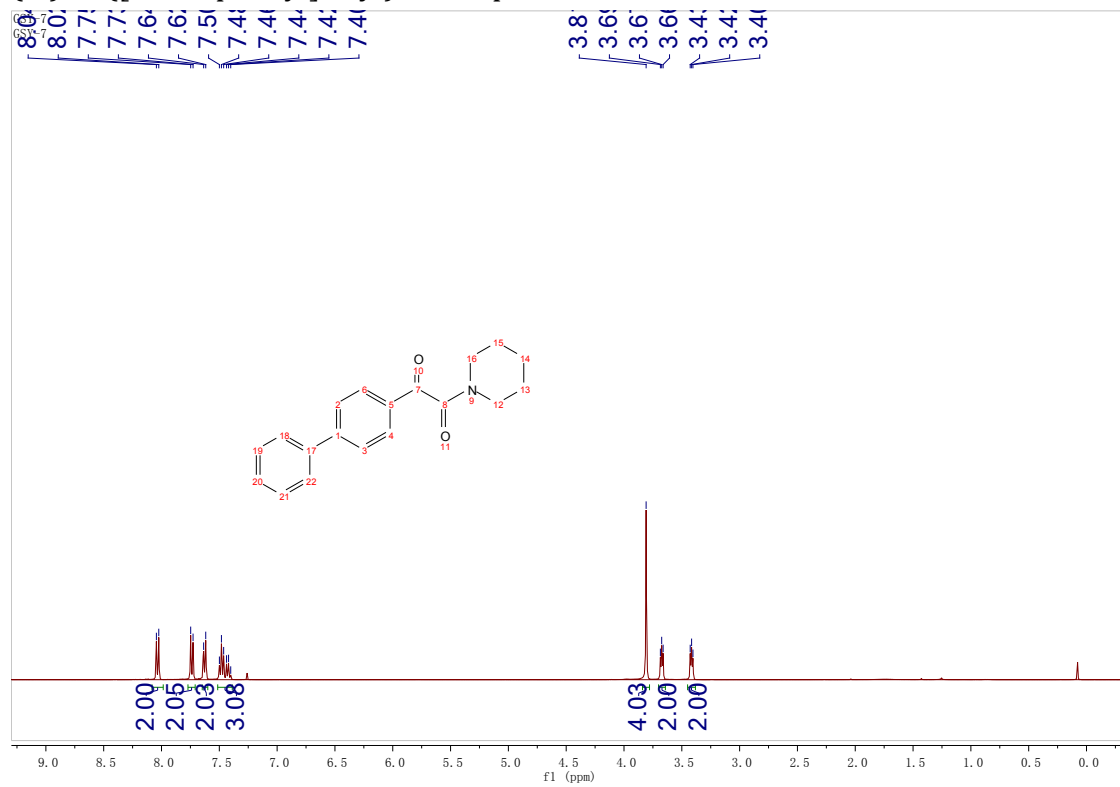
(3g): 1-morpholino-2-(*o*-tolyl) ethane-1,2-dione



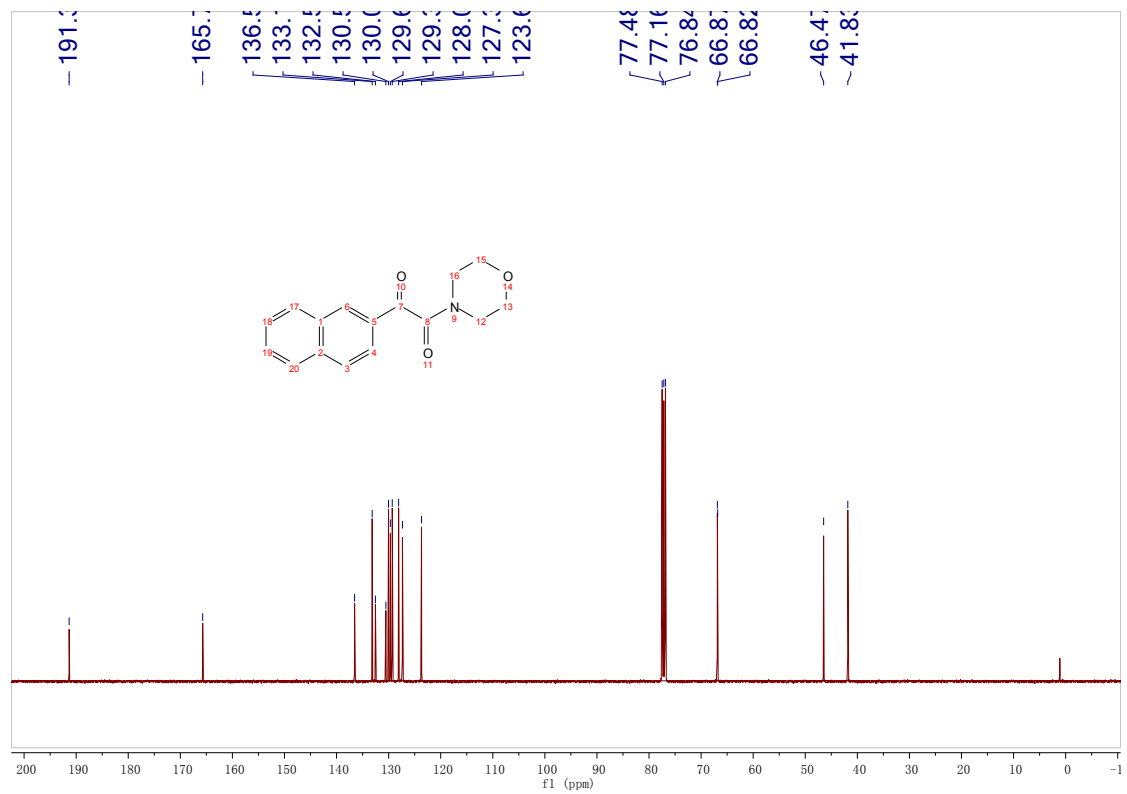
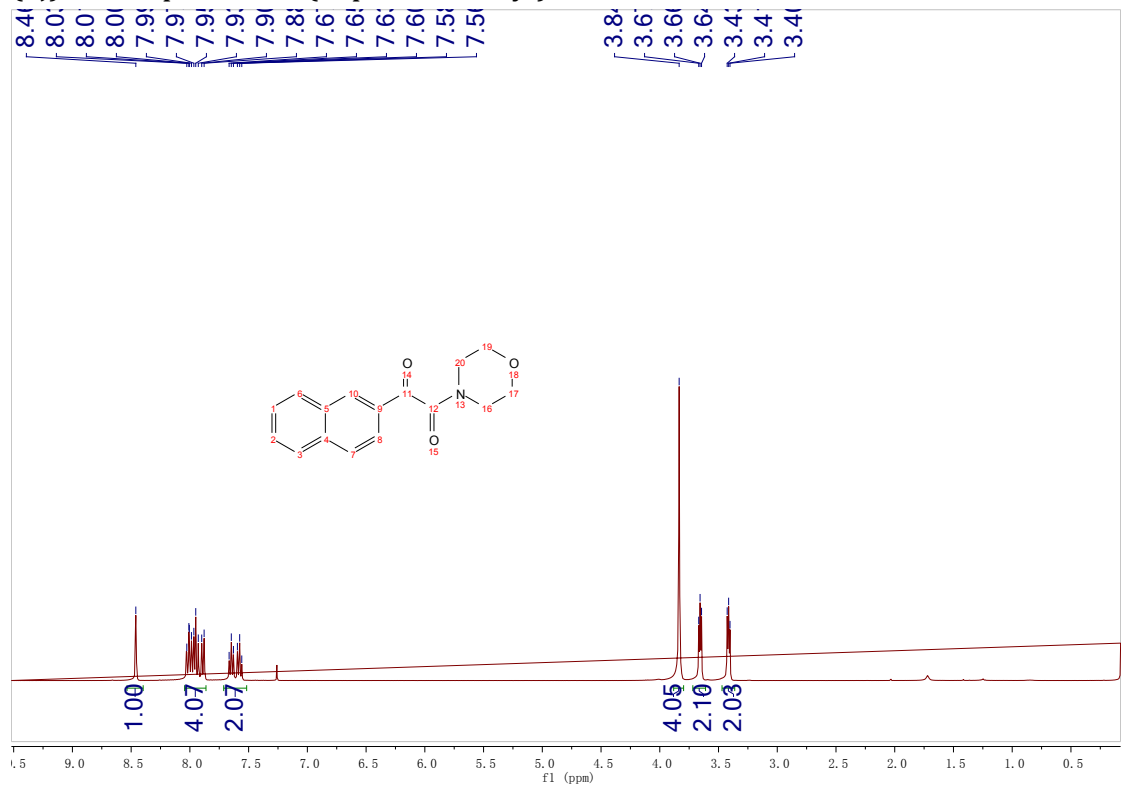
(3h): 1-(4-methoxyphenyl)-2-morpholinoethane-1,2-dione



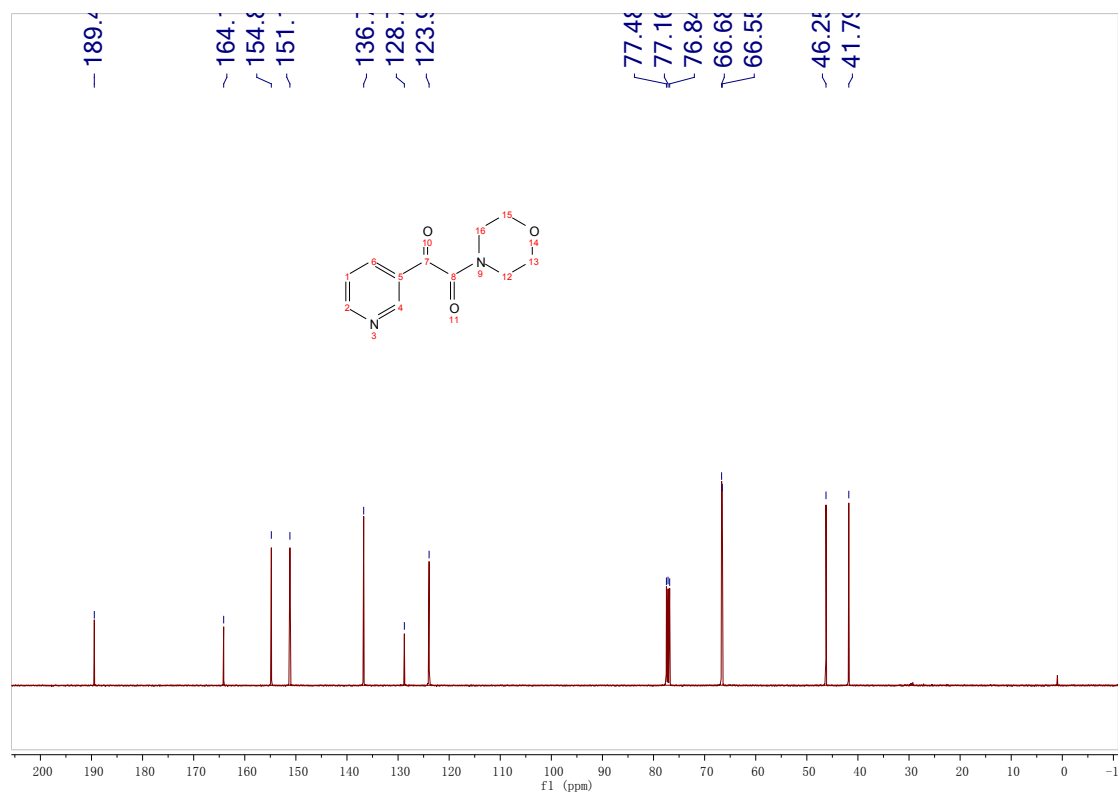
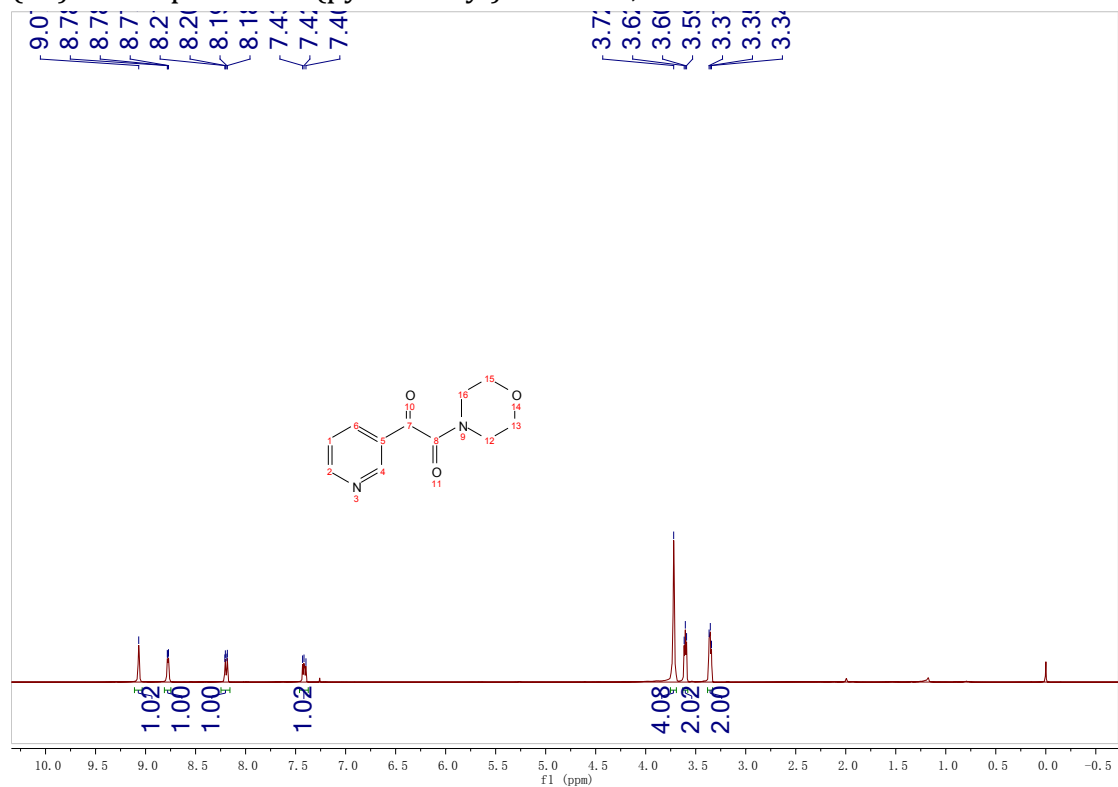
(3i): 1-([1,1'-biphenyl]-4-yl)-2-morpholinoethane-1,2-dione



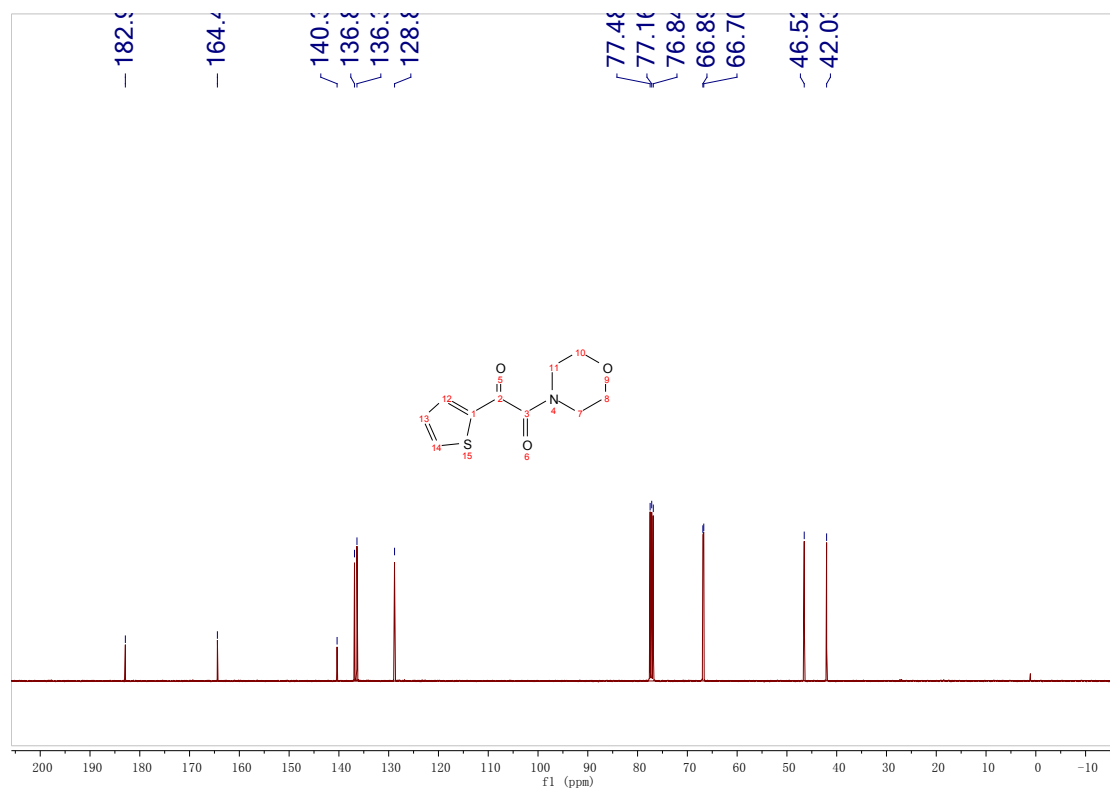
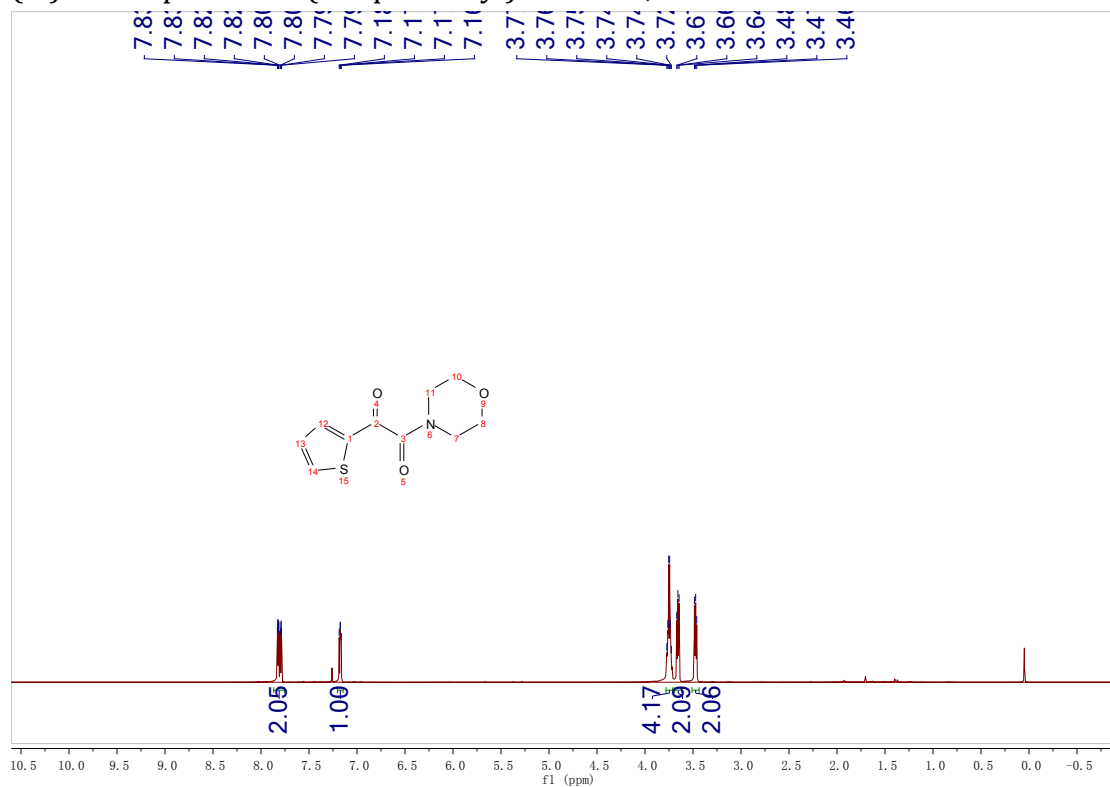
(3j): 1-morpholino-2-(naphthalen-2-yl) ethane-1,2-dione



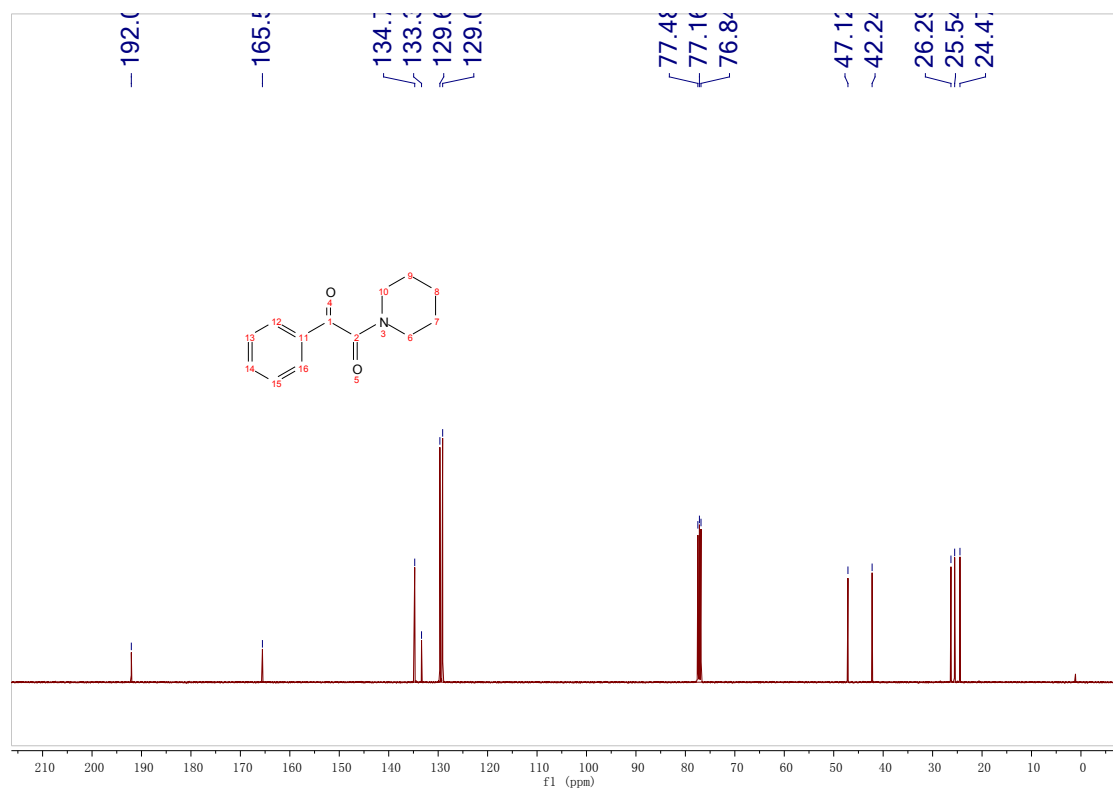
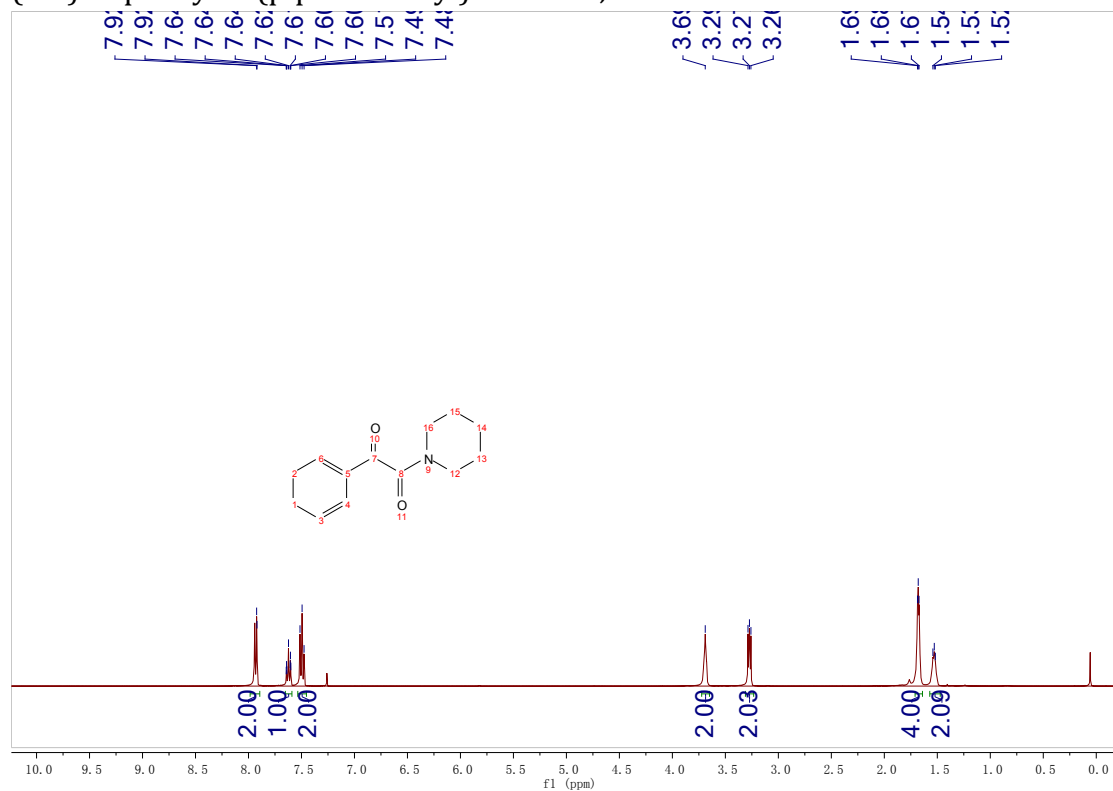
(3k): 1-morpholino-2-(pyridin-3-yl) ethane-1,2-dione



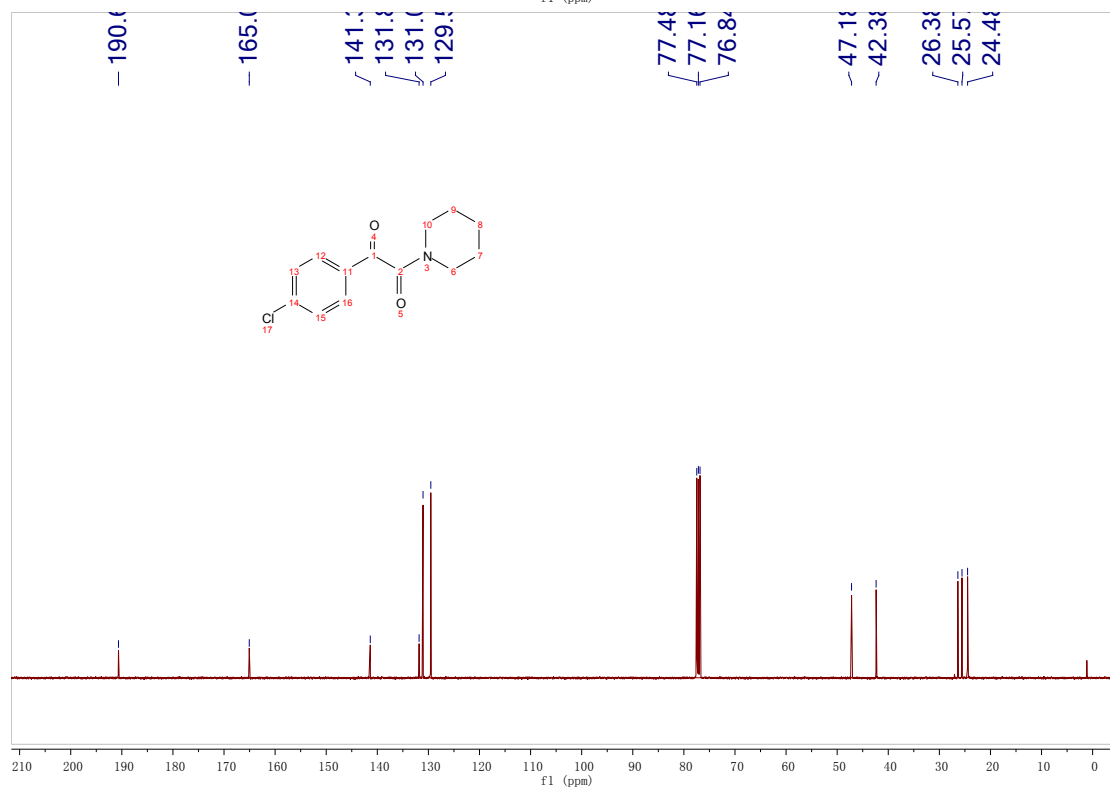
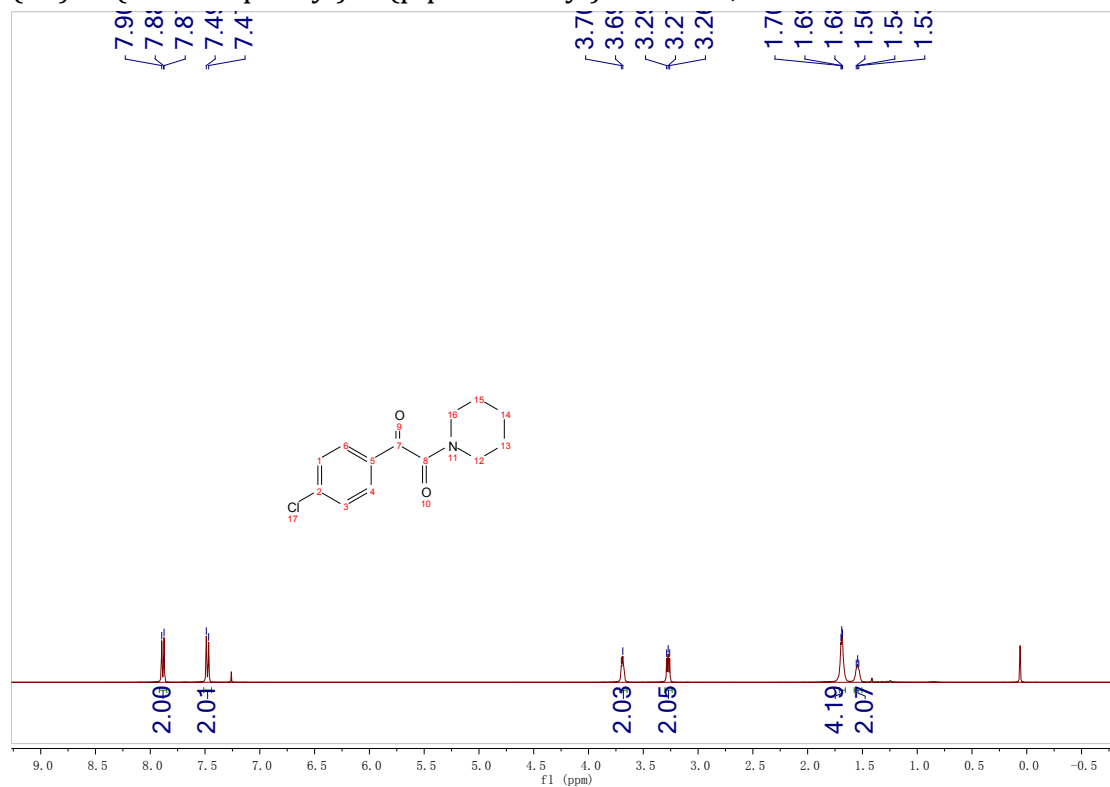
(3l): 1-morpholino-2-(thiophen-2-yl) ethane-1,2-dione



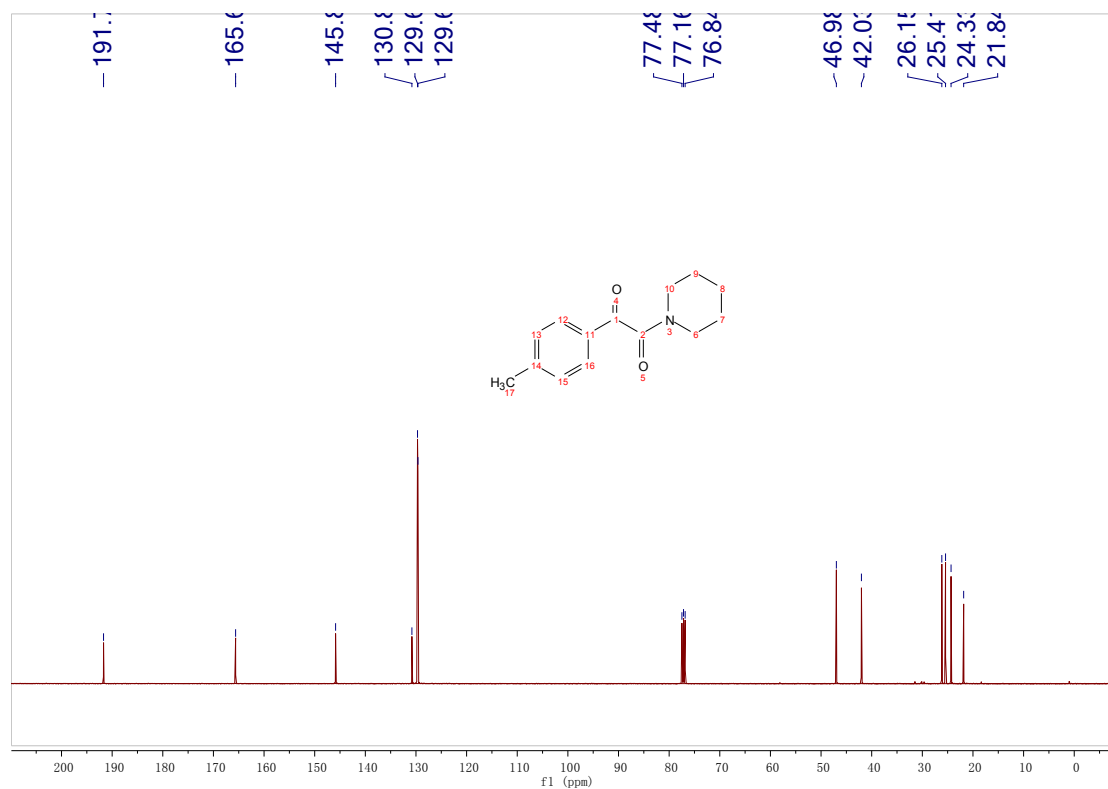
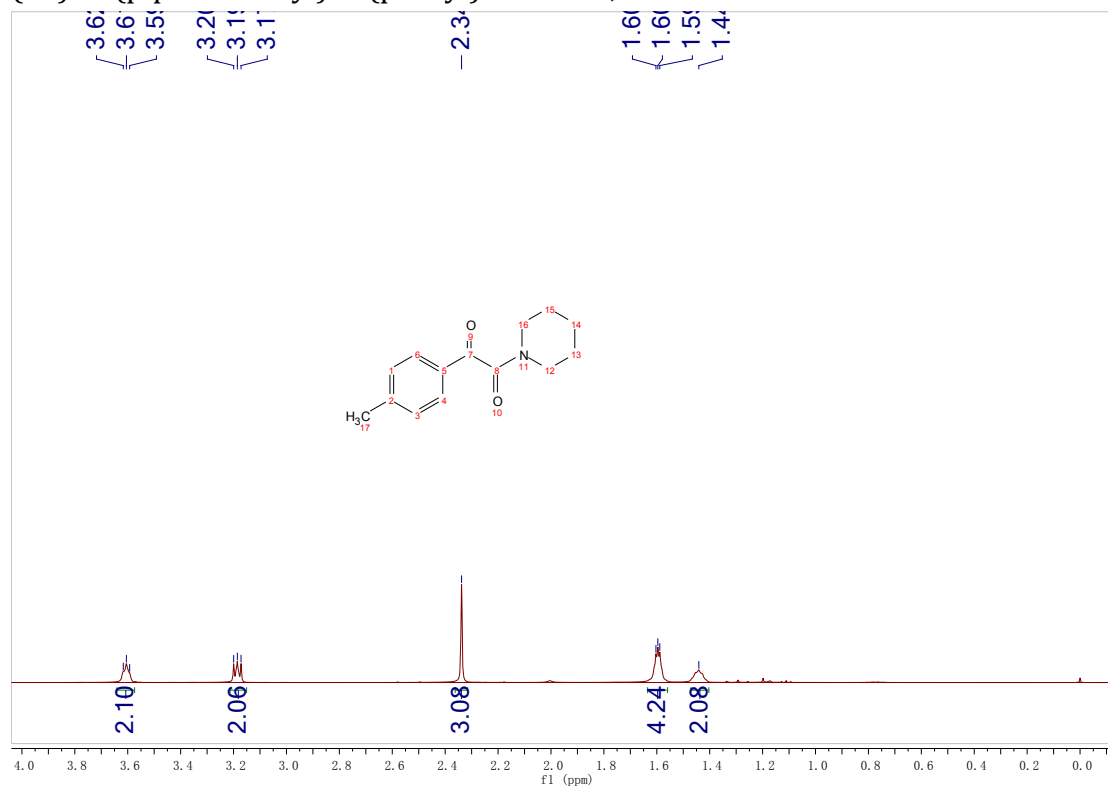
(3m): 1-phenyl-2-(piperidin-1-yl) ethane-1,2-dione



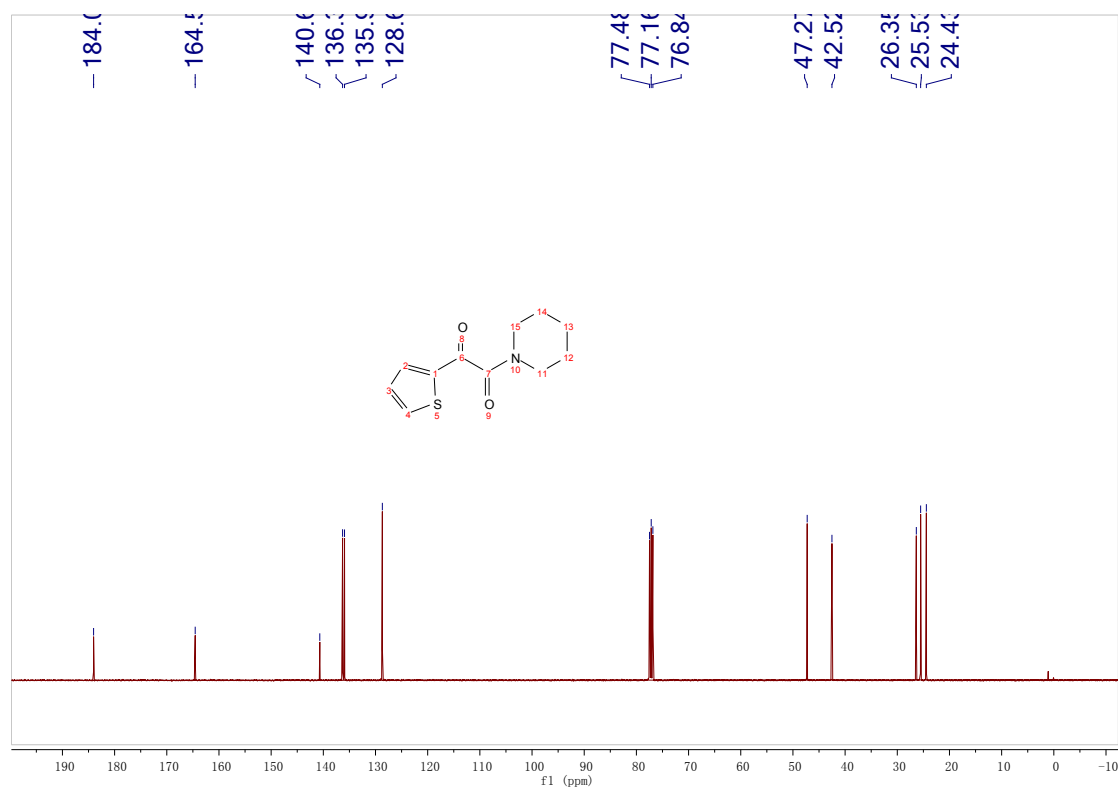
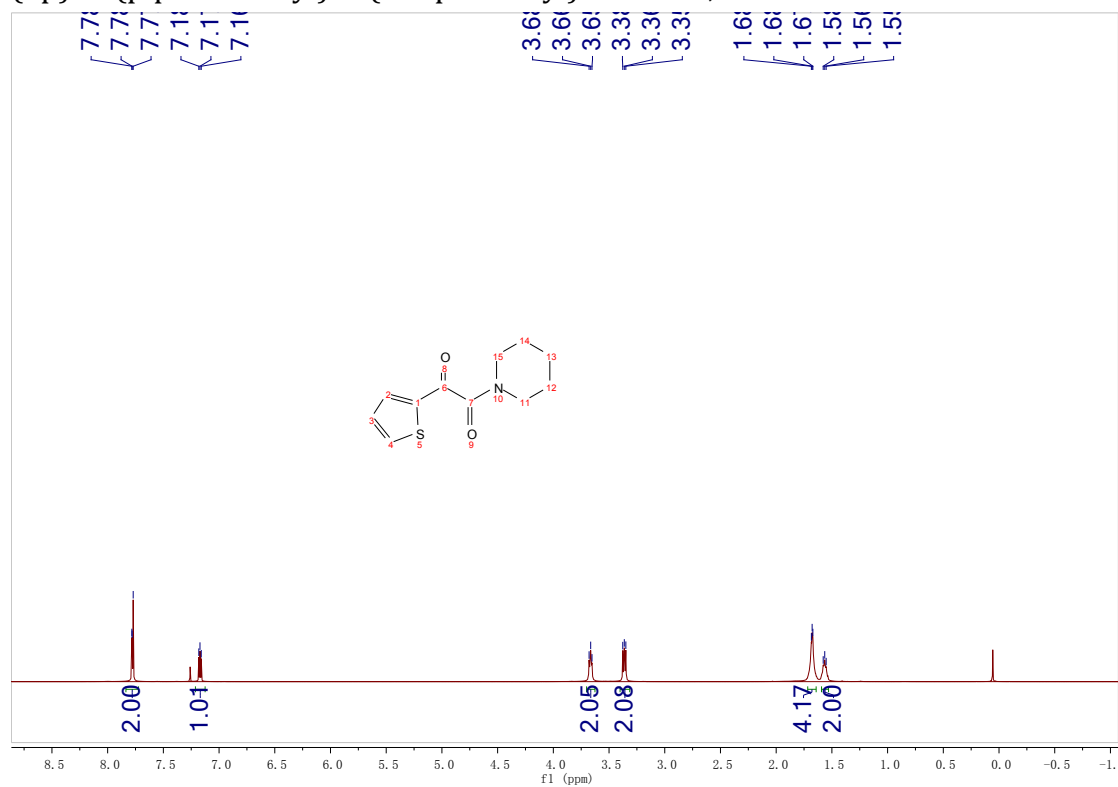
(3n): 1-(4-chlorophenyl)-2-(piperidin-1-yl) ethane-1,2-dione



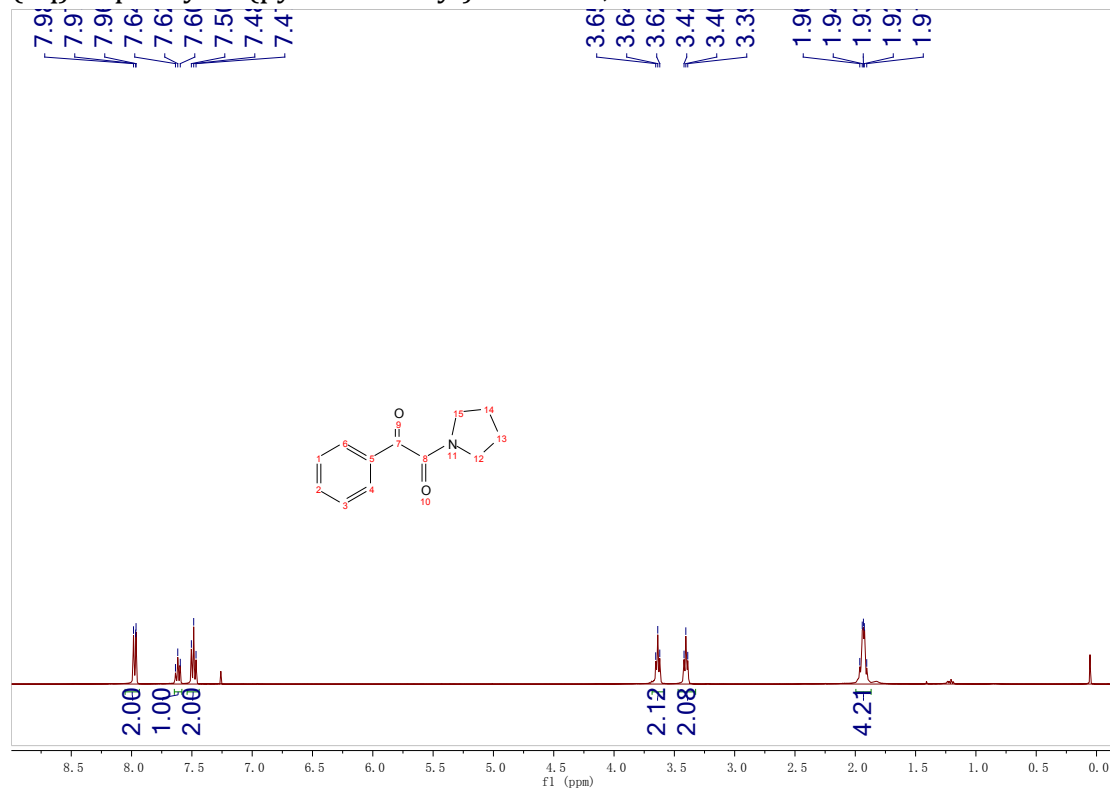
(3o): 1-(piperidin-1-yl)-2-(p-tolyl) ethane-1,2-dione



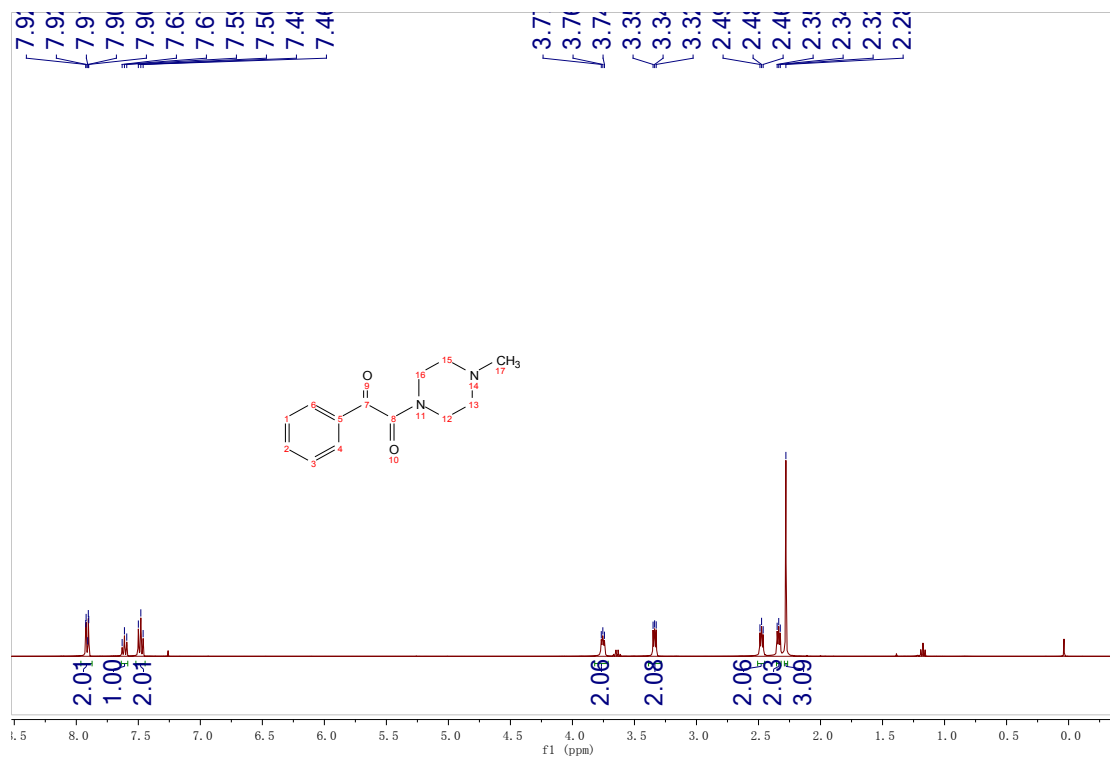
(3p): 1-(piperidin-1-yl)-2-(thiophen-2-yl) ethane-1,2-dione

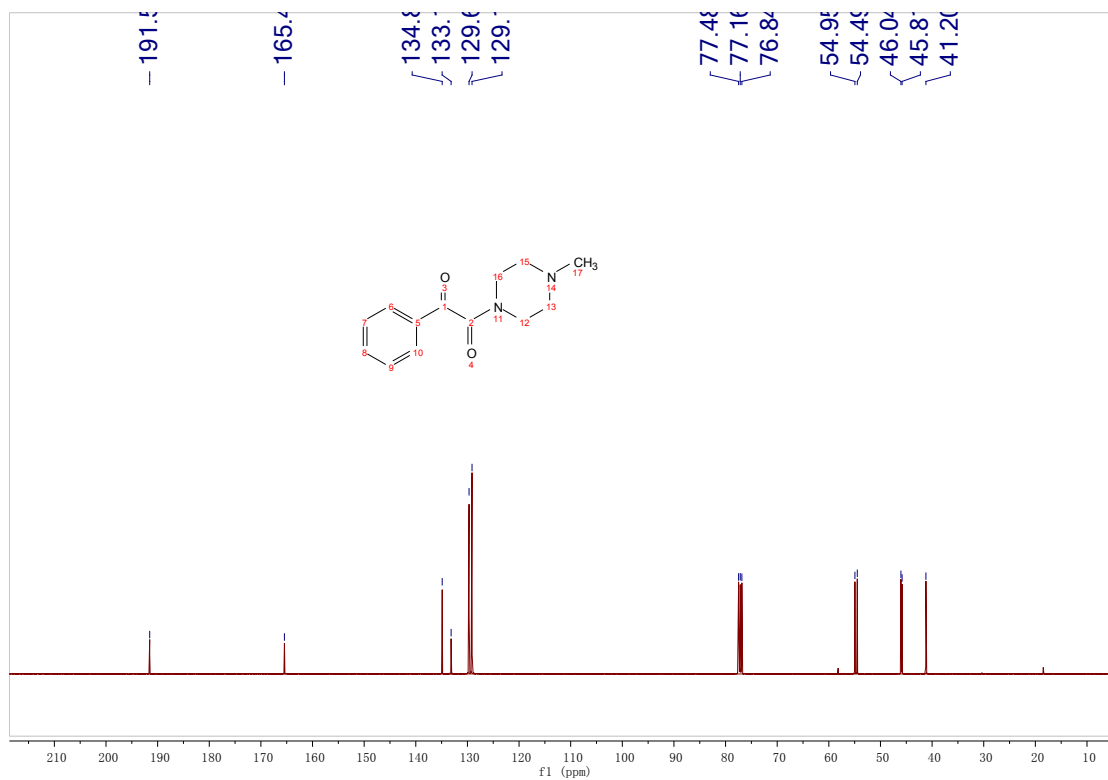


(3q): 1-phenyl-2-(pyrrolidin-1-yl) ethane-1,2-dione

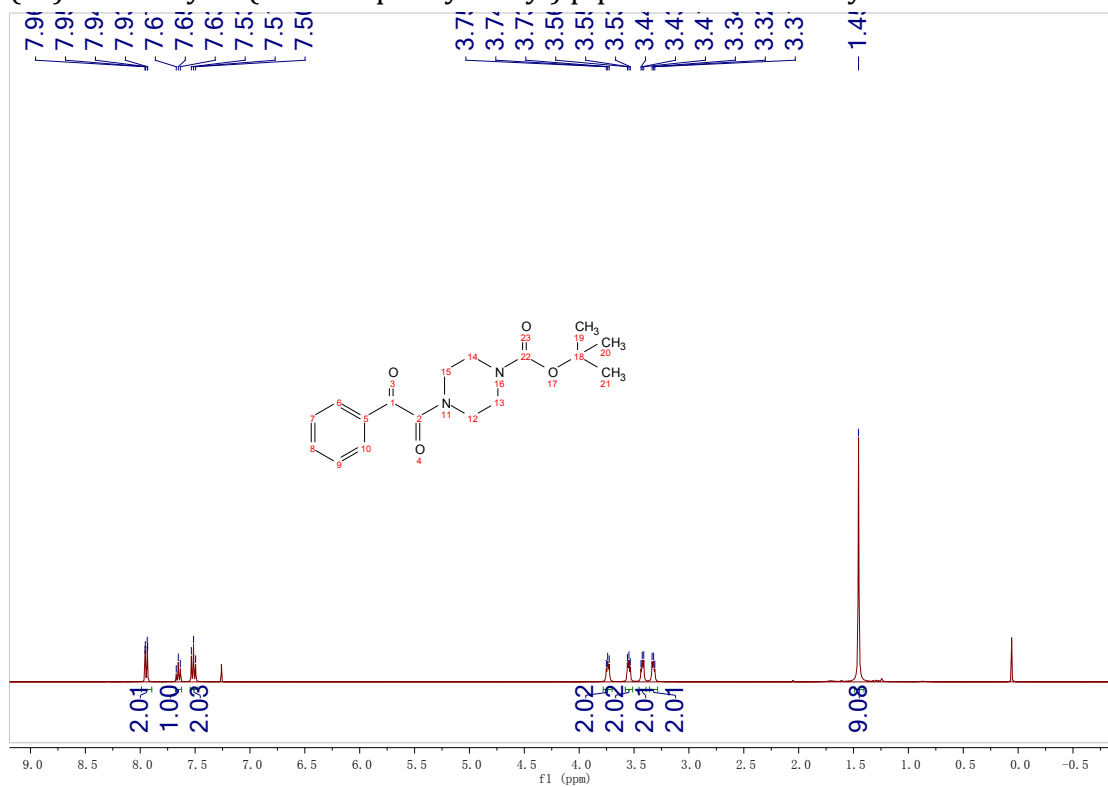


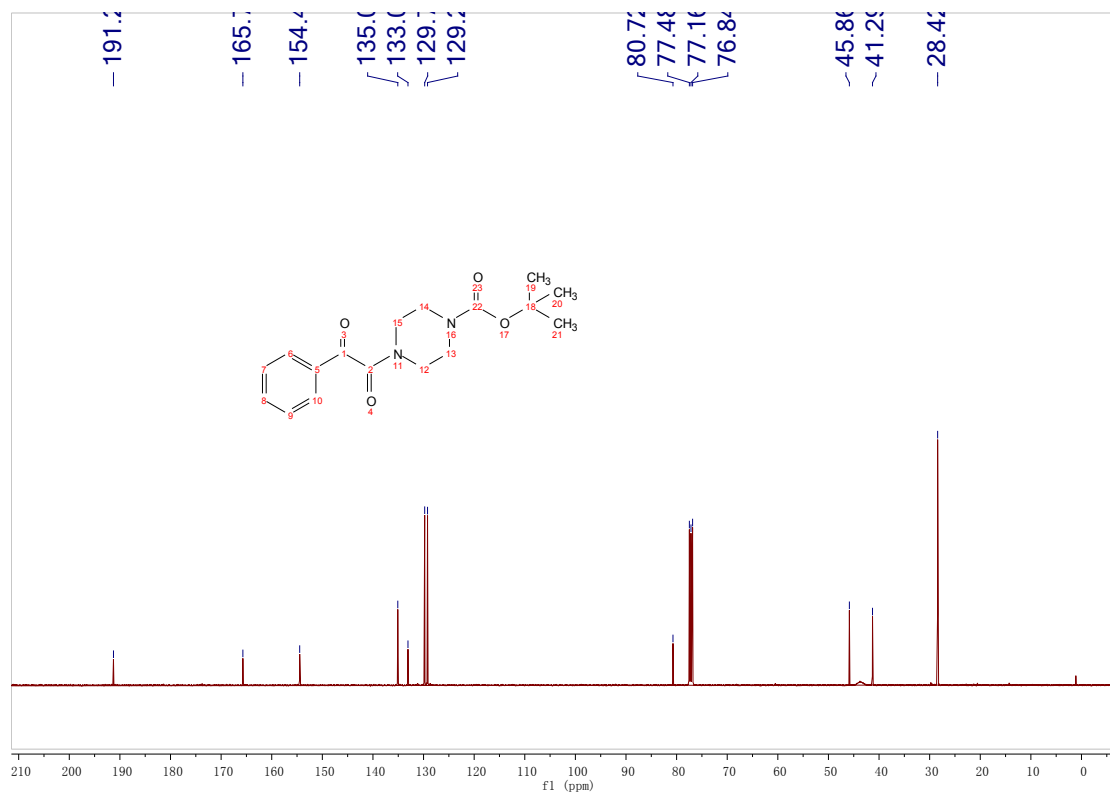
(3r): 1-(4-methylpiperazin-1-yl)-2-phenylethane-1,2-dione



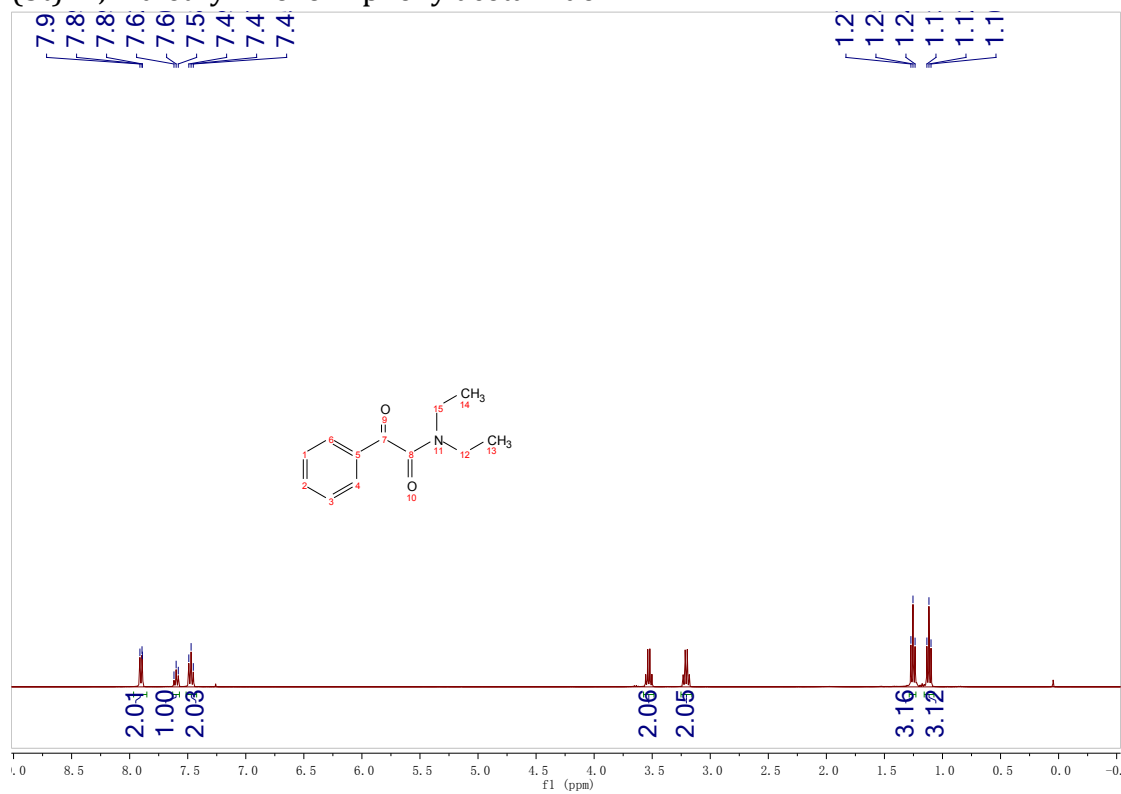


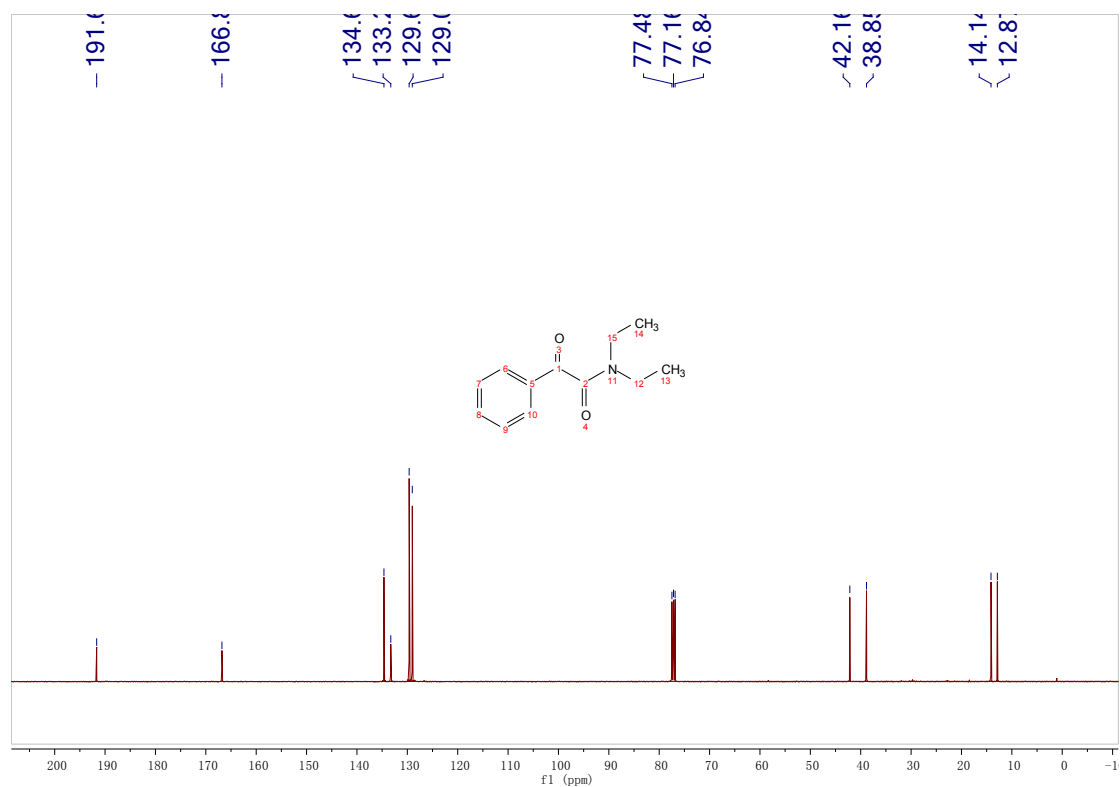
(3s): *tert*-butyl 4-(2-oxo-2-phenylacetyl) piperazine-1-carboxylate





(3t): *N,N*-diethyl-2-oxo-2-phenylacetamide





References

- [1] W. Wei, Y. Shao, H. Hu, F. Zhang, C. Zhang, Y. Xu, X. Wan, *J. Org. Chem.*, 2012, **77**, 7157-7165.
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- [5] H. Wang, L.-N. Guo, X.-H. Duan, *Org. Biomol. Chem.*, 2013, **11**, 4573-4576.
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- [7] N. Mupparapu, S. Khan, S. Battula, M. Kushwaha, A. P. Gupta, Q. N. Ahmed and R. A. Vishwakarma, *Org. Lett.*, 2014, **16**, 1152-1155.