

## **Supporting Information**

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## Experimental section

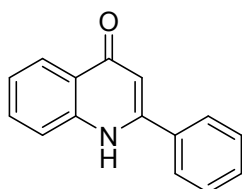
### General experimental details

$^1\text{H}$ -NMR spectra were recorded at 400 MHz. Chemical shifts (in ppm) were referenced to DMSO ( $\delta = 2.50$  ppm) in DMSO as an internal standard.  $^{13}\text{C}$ -NMR spectra were obtained at 100 MHz and were calibrated with DMSO ( $\delta = 39.50$  ppm). The high resolution mass spectra (HRMS) were recorded on an FT-ICR mass spectrometer using electrospray ionization (ESI). Products were purified by flash chromatography on 200–300 mesh silica gels. Unless otherwise noted, commercially available reagents and solvents were used without further purification. All melting points were determined without correction.

### Typical procedure for the preparation of 2-phenylquinolin-4(1H)-one (3aa)

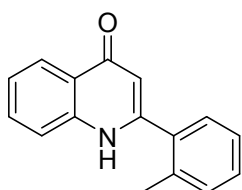
A test tube was charged with **1a** (0.2mmol), **2a** (0.4 mmol), TEMPO (3 equiv) and  $\text{KHCO}_3$  (2 equiv) in DMSO (1 mL). The reaction tube was evacuated and back-filled with  $\text{O}_2$  (3 times, balloon). Then the reaction mixture was stirred at 120 °C (oil bath temperature) under  $\text{O}_2$  atmosphere. After cooling to room temperature, the solvent was extracted with ethyl acetate and washed with brine, dried with  $\text{Na}_2\text{SO}_4$ . After the solvent was evaporated in vacuo, the residues were purified by column chromatography, eluting with petroleum ether / ethyl acetate to afford pure **3aa**.

### Analytical data for products



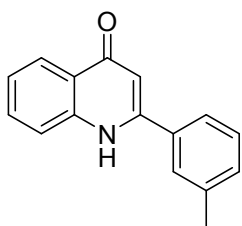
### 2-phenylquinolin-4(1H)-one (3aa)

White solid. m.p. 242–244 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.72 (s, 1H), 8.10 (dd,  $J = 8.1, 1.1$  Hz, 1H), 7.83 (dd,  $J = 6.6, 2.9$  Hz, 2H), 7.77 (d,  $J = 8.3$  Hz, 1H), 7.70 – 7.64 (m, 1H), 7.63 – 7.55 (m, 3H), 7.34 (t,  $J = 7.2$  Hz, 1H), 6.34 (s, 1H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.92, 149.98, 140.50, 134.21, 131.80, 130.44, 128.99, 127.41, 124.86, 124.71, 123.24, 118.71, 107.32. HRMS calcd for  $\text{C}_{15}\text{H}_{12}\text{NO}$   $[\text{M}+\text{H}]^+$  222.0914, found 222.0917.



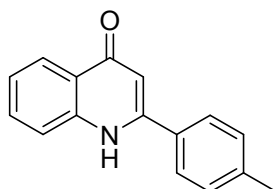
### 2-(o-tolyl)quinolin-4(1H)-one (3ab)

Yellow solid. m.p. 108–109 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.82 (s, 1H), 8.12 (d,  $J = 8.1$  Hz, 1H), 7.65 (m, 2H), 7.48 – 7.31 (m, 5H), 5.97 (s, 1H), 2.31 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.69, 150.66, 140.21, 135.61, 134.99, 131.75, 130.48, 129.63, 129.03, 126.02, 124.78, 123.19, 118.39, 109.28, 19.39. HRMS calcd for  $\text{C}_{16}\text{H}_{14}\text{NO}$   $[\text{M}+\text{H}]^+$  236.1070, found 236.1072.

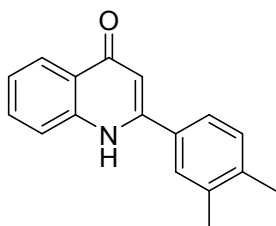


**2-(m-tolyl)quinolin-4(1H)-one (3ac)**

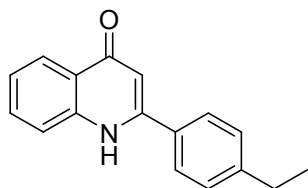
Yellow solid. m.p. 203-204 °C. <sup>1</sup>H NMR (400 MHz, DMSO) δ 11.70 (s, 1H), 8.10 (dd, *J* = 8.1, 1.2 Hz, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.66 (m, 3H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.39 (d, *J* = 7.5 Hz, 1H), 7.33 (t, *J* = 7.4 Hz, 1H), 6.32 (d, *J* = 1.3 Hz, 1H), 2.42 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO) δ 176.91, 150.10, 140.49, 138.36, 134.18, 131.76, 131.04, 128.91, 127.85, 124.87, 124.71, 124.54, 123.21, 118.68, 107.26, 21.00. HRMS calcd for C<sub>16</sub>H<sub>14</sub>NO [M+H]<sup>+</sup> 236.1070, found 236.1073.

**2-(p-tolyl)quinolin-4(1H)-one (3ad)**

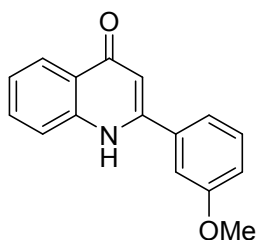
Yellow solid. m.p. 260-261 °C. <sup>1</sup>H NMR (400 MHz, DMSO) δ 11.65 (s, 1H), 8.09 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.79 – 7.71 (m, 3H), 7.69 – 7.64 (m, 1H), 7.39 (d, *J* = 8.0 Hz, 2H), 7.35 – 7.30 (m, 1H), 6.32 (d, *J* = 1.8 Hz, 1H), 2.40 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO) δ 176.92, 149.90, 140.49, 140.34, 131.73, 131.27, 129.54, 127.22, 124.84, 124.69, 123.17, 118.67, 106.91, 20.89. HRMS calcd for C<sub>16</sub>H<sub>14</sub>NO [M+H]<sup>+</sup> 236.1070, found 236.1074.

**2-(3,4-dimethylphenyl)quinolin-4(1H)-one (3ae)**

Yellow solid. m.p. 229-231 °C. <sup>1</sup>H NMR (400 MHz, DMSO) δ 11.63 (s, 1H), 8.09 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.76 (t, *J* = 10.5 Hz, 1H), 7.65 (m, 2H), 7.56 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.32 (dd, *J* = 12.4, 4.3 Hz, 2H), 6.32 (d, *J* = 1.8 Hz, 1H), 2.33 (s, 3H), 2.30 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO) δ 176.88, 150.02, 140.49, 139.10, 137.04, 131.68, 131.59, 129.99, 128.15, 124.85, 124.68, 123.11, 118.64, 106.85, 19.43, 19.27. HRMS calcd for C<sub>17</sub>H<sub>16</sub>NO [M+H]<sup>+</sup> 250.1227, found 250.1225.

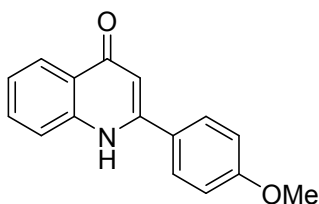
**2-(4-ethylphenyl)quinolin-4(1H)-one (3af)**

Yellow solid. m.p. 232-234 °C. <sup>1</sup>H NMR (400 MHz, DMSO) δ 11.66 (s, 1H), 8.09 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.76 (dd, *J* = 8.2, 3.3 Hz, 3H), 7.66 (m, 1H), 7.42 (d, *J* = 8.2 Hz, 2H), 7.36 – 7.29 (m, 1H), 6.32 (d, *J* = 1.8 Hz, 1H), 2.69 (q, *J* = 7.6 Hz, 2H), 1.22 (t, *J* = 7.6 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO) δ 176.91, 149.97, 146.54, 140.49, 131.73, 131.58, 128.39, 127.37, 124.85, 124.70, 123.17, 118.66, 106.96, 27.98, 15.49. HRMS calcd for C<sub>17</sub>H<sub>16</sub>NO [M+H]<sup>+</sup> 250.1227, found 250.1224.



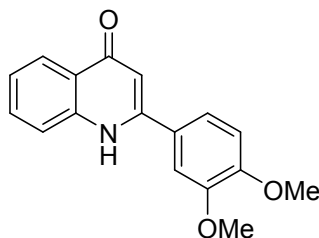
**2-(3-methoxyphenyl)quinolin-4(1H)-one (3ag)**

Yellow solid. m.p. 245-246 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.70 (s, 1H), 8.11 (dd,  $J$  = 8.1, 1.2 Hz, 1H), 7.77 (d,  $J$  = 8.3 Hz, 1H), 7.71 – 7.64 (m, 1H), 7.50 (t,  $J$  = 7.9 Hz, 1H), 7.42 – 7.31 (m, 3H), 7.14 (dd,  $J$  = 8.2, 1.9 Hz, 1H), 6.37 (s, 1H), 3.87 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.97, 159.52, 149.80, 140.47, 135.59, 131.79, 130.18, 124.90, 124.71, 123.26, 119.60, 118.73, 116.08, 112.81, 107.40, 55.39. HRMS calcd for  $\text{C}_{16}\text{H}_{14}\text{NO}_2$   $[\text{M}+\text{H}]^+$  252.1019, found 252.1020.



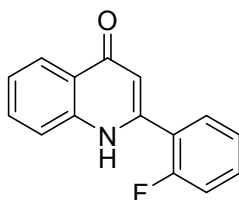
**2-(4-methoxyphenyl)quinolin-4(1H)-one (3ah)**

Yellow solid. m.p. 284-285 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.59 (s, 1H), 8.08 (d,  $J$  = 7.9 Hz, 1H), 7.81 (d,  $J$  = 8.8 Hz, 2H), 7.76 (d,  $J$  = 8.3 Hz, 1H), 7.68 – 7.62 (m, 1H), 7.32 (t,  $J$  = 7.5 Hz, 1H), 7.13 (d,  $J$  = 8.8 Hz, 2H), 6.31 (d,  $J$  = 1.7 Hz, 1H), 3.85 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.83, 161.04, 149.65, 140.48, 131.65, 128.84, 126.22, 124.78, 124.67, 123.08, 118.60, 114.38, 106.49, 55.44. HRMS calcd for  $\text{C}_{16}\text{H}_{14}\text{NO}_2$   $[\text{M}+\text{H}]^+$  252.1019, found 252.1023.



**2-(3,4-dimethoxyphenyl)quinolin-4(1H)-one (3ai)**

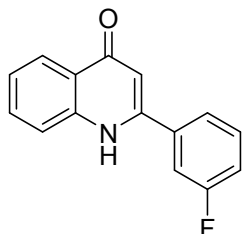
Yellow solid. m.p. 236-238 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.58 (s, 1H), 8.09 (dd,  $J$  = 8.0, 1.1 Hz, 1H), 7.75 (t,  $J$  = 9.2 Hz, 1H), 7.69 – 7.62 (m, 1H), 7.45 – 7.36 (m, 2H), 7.35 – 7.28 (m, 1H), 7.14 (d,  $J$  = 8.4 Hz, 1H), 6.38 (d,  $J$  = 1.6 Hz, 1H), 3.89 (s, 3H), 3.84 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.88, 150.69, 149.85, 148.86, 140.46, 131.65, 126.40, 124.82, 124.70, 123.08, 120.11, 118.59, 111.73, 110.76, 106.73, 55.73, 55.69. HRMS calcd for  $\text{C}_{17}\text{H}_{16}\text{NO}_3$   $[\text{M}+\text{H}]^+$  282.1125, found 282.1127.



**2-(2-fluorophenyl)quinolin-4(1H)-one (3aj)**

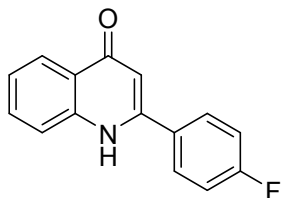
Yellow solid. m.p. 252-254 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.94 (s, 1H), 8.12 (d,  $J$  = 8.0 Hz, 1H), 7.74 – 7.65 (m, 3H), 7.65 – 7.59 (m, 1H), 7.48 – 7.40 (m, 2H), 7.36 (m, 1H), 6.17 (s, 1H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.71, 158.96 (d,  $J$  = 247 Hz), 145.12, 140.33, 132.35 (d,  $J$  = 8 Hz), 131.99, 130.81,

125.02 (d,  $J = 4$  Hz), 124.79, 123.41, 122.64, 122.51, 118.51, 116.34 (d,  $J = 21$  Hz), 109.81. HRMS calcd for  $C_{15}H_{11}FNO$   $[M+H]^+$  240.0819, found 240.0822.



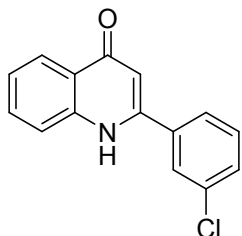
**2-(3-fluorophenyl)quinolin-4(1H)-one (3ak)**

White solid. m.p. 257-259 °C.  $^1H$  NMR (400 MHz, DMSO)  $\delta$  11.74 (s, 1H), 8.10 (d,  $J = 7.9$  Hz, 1H), 7.78 – 7.67 (m, 4H), 7.66 – 7.60 (m, 1H), 7.43 (m, 1H), 7.35 (t,  $J = 7.5$  Hz, 1H), 6.40 (d,  $J = 1.2$  Hz, 1H).  $^{13}C$  NMR (100 MHz, DMSO)  $\delta$  176.98, 162.21 (d,  $J = 243$  Hz), 148.50, 140.45, 136.43 (d,  $J = 8$  Hz), 131.95, 131.14 (d,  $J = 9$  Hz), 124.91, 124.73, 123.67 (d,  $J = 2$  Hz), 123.40, 118.78, 117.25 (d,  $J = 21$  Hz), 114.45 (d,  $J = 23$  Hz), 107.67. HRMS calcd for  $C_{15}H_{11}FNO$   $[M+H]^+$  240.0819, found 240.0823.



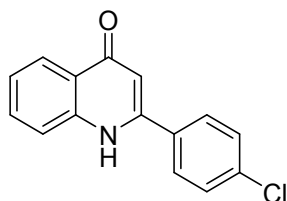
**2-(4-fluorophenyl)quinolin-4(1H)-one (3al)**

Yellow solid. m.p. 248-250 °C.  $^1H$  NMR (400 MHz, DMSO)  $\delta$  11.72 (s, 1H), 8.10 (d,  $J = 7.1$  Hz, 1H), 7.95 – 7.86 (m, 2H), 7.75 (d,  $J = 8.1$  Hz, 1H), 7.70 – 7.64 (m, 1H), 7.47 – 7.40 (m, 2H), 7.36 – 7.31 (m, 1H), 6.33 (d,  $J = 1.7$  Hz, 1H).  $^{13}C$  NMR (100 MHz, DMSO)  $\delta$  176.90, 163.37 (d,  $J = 246$  Hz), 148.97, 140.47, 131.85, 130.65, 129.89 (d,  $J = 8$  Hz), 124.83, 124.72, 123.30, 118.69, 115.98 (d,  $J = 22$  Hz), 107.38. HRMS calcd for  $C_{15}H_{11}FNO$   $[M+H]^+$  240.0819, found 240.0821.



**2-(3-chlorophenyl)quinolin-4(1H)-one (3am)**

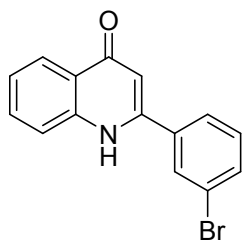
Yellow solid. m.p. 258-260 °C.  $^1H$  NMR (400 MHz, DMSO)  $\delta$  11.77 (s, 1H), 8.10 (d,  $J = 8.2$  Hz, 1H), 7.92 (d,  $J = 1.7$  Hz, 1H), 7.83 – 7.72 (m, 2H), 7.70 – 7.66 (m, 1H), 7.64 – 7.57 (m, 2H), 7.35 (dd,  $J = 9.4, 5.4$  Hz, 1H), 6.38 (d,  $J = 1.5$  Hz, 1H).  $^{13}C$  NMR (100 MHz, DMSO)  $\delta$  176.97, 148.42, 140.47, 136.21, 133.69, 131.95, 130.89, 130.24, 127.15, 126.31, 124.91, 124.73, 123.41, 118.77, 107.74. HRMS calcd for  $C_{15}H_{11}ClNO$   $[M+H]^+$  256.0524, found 256.0523.



**2-(4-chlorophenyl)quinolin-4(1H)-one (3an)**

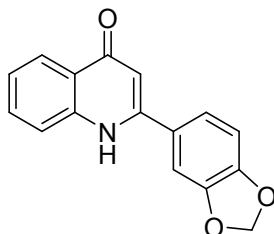
Yellow solid. m.p. 269-271 °C.  $^1H$  NMR (400 MHz, DMSO)  $\delta$  11.75 (s, 1H), 8.10 (d,  $J = 8.0$  Hz, 1H),

7.87 (d,  $J = 8.6$  Hz, 2H), 7.75 (d,  $J = 8.2$  Hz, 1H), 7.71 – 7.63 (m, 3H), 7.35 (dd,  $J = 11.0, 3.9$  Hz, 1H), 6.35 (d,  $J = 1.7$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.92, 148.72, 140.47, 135.26, 132.96, 131.91, 129.29, 129.00, 124.86, 124.72, 123.36, 118.72, 107.48. HRMS calcd for  $\text{C}_{15}\text{H}_{11}\text{ClNO}$   $[\text{M}+\text{H}]^+$  256.0524, found 256.0521.



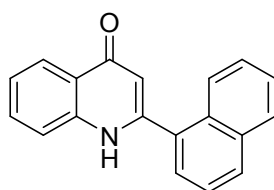
**2-(3-bromophenyl)quinolin-4(1H)-one (3ao)**

Yellow solid. m.p. 256-258 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.77 (s, 1H), 8.09 (t,  $J = 8.6$  Hz, 1H), 8.05 (t,  $J = 1.7$  Hz, 1H), 7.84 (d,  $J = 7.8$  Hz, 1H), 7.80 – 7.73 (m, 2H), 7.71 – 7.65 (m, 1H), 7.54 (t,  $J = 7.9$  Hz, 1H), 7.37 – 7.32 (m, 1H), 6.36 (d,  $J = 1.8$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.94, 148.35, 140.46, 136.43, 133.15, 131.95, 131.13, 129.91, 126.70, 124.90, 124.72, 123.40, 122.18, 118.76, 107.74. HRMS calcd for  $\text{C}_{15}\text{H}_{11}\text{BrNO}$   $[\text{M}+\text{H}]^+$  300.0019, found 300.0020.



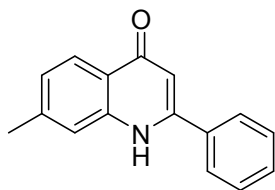
**2-(benzo[d][1,3]dioxol-5-yl)quinolin-4(1H)-one (3ap)**

Yellow solid. m.p. 269-270 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.57 (s, 1H), 8.08 (d,  $J = 7.3$  Hz, 1H), 7.75 (d,  $J = 8.3$  Hz, 1H), 7.68 – 7.61 (m, 1H), 7.42 (t,  $J = 7.0$  Hz, 1H), 7.37 (dd,  $J = 8.1, 1.8$  Hz, 1H), 7.31 (dd,  $J = 16.4, 9.2$  Hz, 1H), 7.12 (d,  $J = 8.1$  Hz, 1H), 6.30 (d,  $J = 1.7$  Hz, 1H), 6.15 (s, 2H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.87, 149.53, 149.15, 147.88, 140.42, 131.71, 127.98, 124.79, 124.67, 123.14, 121.83, 118.64, 108.66, 107.61, 106.82, 101.80. HRMS calcd for  $\text{C}_{16}\text{H}_{12}\text{NO}_3$   $[\text{M}+\text{H}]^+$  266.0812, found 266.0815.



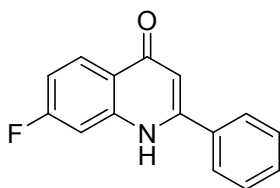
**2-(naphthalen-1-yl)quinolin-4(1H)-one (3aq)**

White solid. m.p. 287-289 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  12.07 (s, 1H), 8.18 (dd,  $J = 7.9, 0.8$  Hz, 1H), 8.14 (d,  $J = 8.0$  Hz, 1H), 8.09 (m, 1H), 7.86 (dd,  $J = 7.8, 6.3$  Hz, 1H), 7.75 – 7.57 (m, 6H), 7.38 (m, 1H), 6.15 (d,  $J = 1.7$  Hz, 1H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.72, 149.65, 140.37, 133.11, 132.70, 131.87, 130.31, 129.95, 128.48, 127.32, 127.26, 126.56, 125.39, 124.99, 124.87, 124.73, 123.33, 118.53, 110.38. HRMS calcd for  $\text{C}_{19}\text{H}_{14}\text{NO}$   $[\text{M}+\text{H}]^+$  272.1070, found 272.1071.



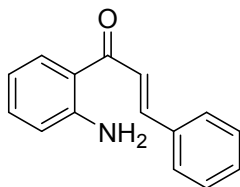
**7-methyl-2-phenylquinolin-4(1H)-one (3ba)**

Yellow solid. m.p. 237-239 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.60 (s, 1H), 7.99 (d,  $J$  = 8.2 Hz, 1H), 7.81 (dd,  $J$  = 6.6, 3.0 Hz, 2H), 7.62 – 7.55 (m, 3H), 7.53 (s, 1H), 7.16 (d,  $J$  = 8.2 Hz, 1H), 6.28 (d,  $J$  = 1.7 Hz, 1H), 2.44 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.80, 149.76, 141.85, 140.68, 134.28, 130.37, 128.98, 127.37, 124.94, 124.72, 122.90, 117.98, 107.23, 21.42. HRMS calcd for  $\text{C}_{16}\text{H}_{14}\text{NO}$   $[\text{M}+\text{H}]^+$  236.1070, found 236.1073.



**7-fluoro-2-phenylquinolin-4(1H)-one (3ca)**

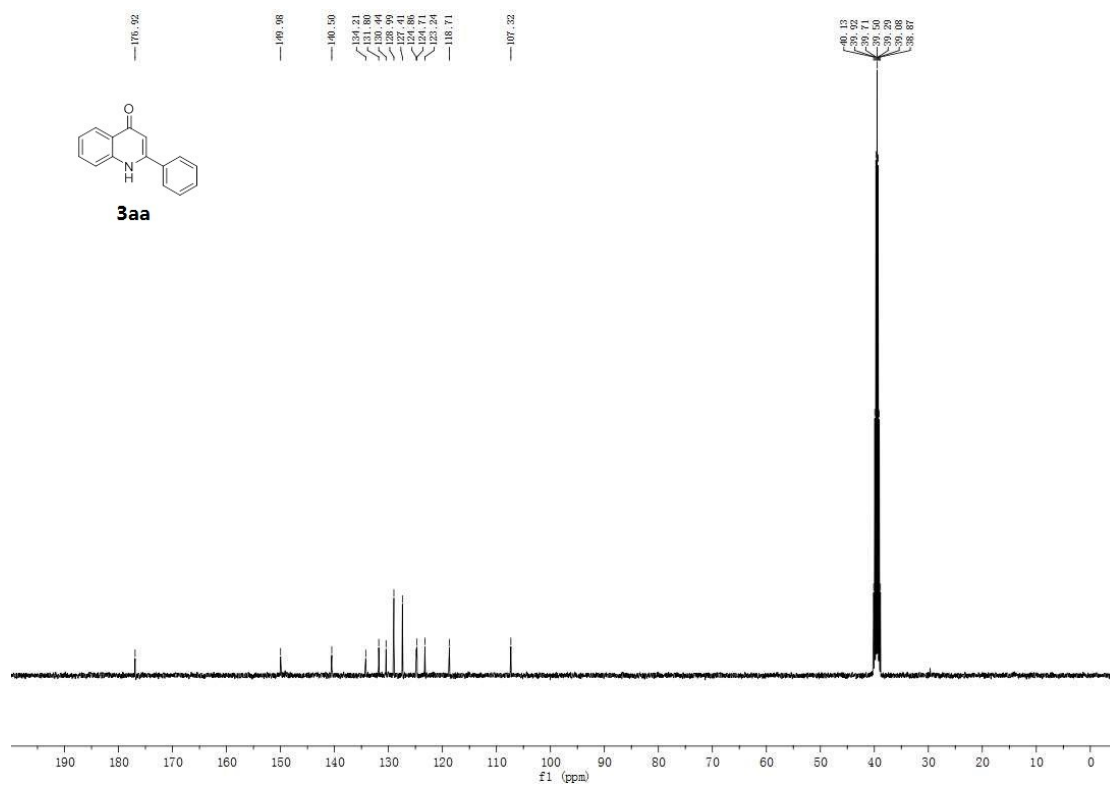
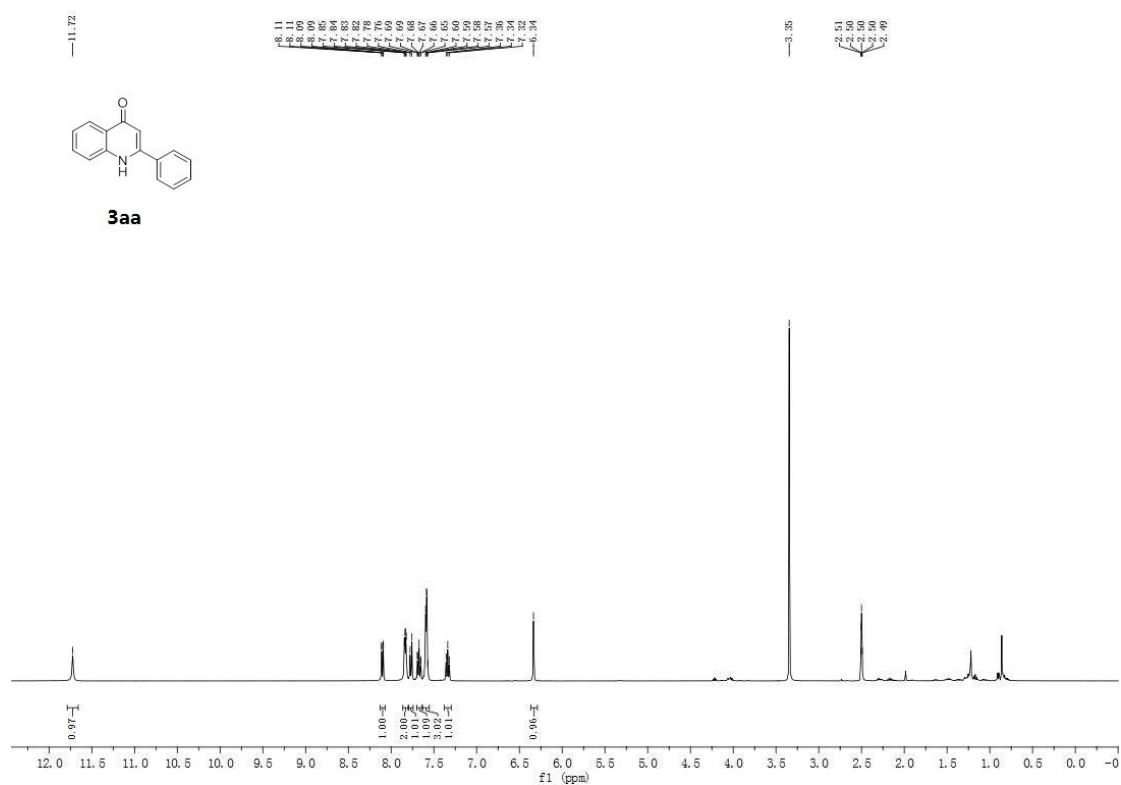
Yellow solid. m.p. 260-262 °C.  $^1\text{H}$  NMR (400 MHz, DMSO)  $\delta$  11.78 (s, 1H), 8.15 (dd,  $J$  = 8.9, 6.5 Hz, 1H), 7.82 (dd,  $J$  = 6.4, 2.7 Hz, 2H), 7.59 (dd,  $J$  = 6.5, 3.8 Hz, 3H), 7.48 (dd,  $J$  = 10.4, 2.2 Hz, 1H), 7.21 (dd,  $J$  = 8.7, 2.3 Hz, 1H), 6.35 (s, 1H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  176.34, 163.88 (d,  $J$  = 246), 150.48, 141.89 (d,  $J$  = 13 Hz), 133.91, 130.63, 129.07, 128.07 (d,  $J$  = 11 Hz), 127.33, 121.93, 112.07 (d,  $J$  = 23 Hz), 107.56, 103.81 (d,  $J$  = 25 Hz). HRMS calcd for  $\text{C}_{15}\text{H}_{11}\text{FNO}$   $[\text{M}+\text{H}]^+$  240.0819, found 240.0820.



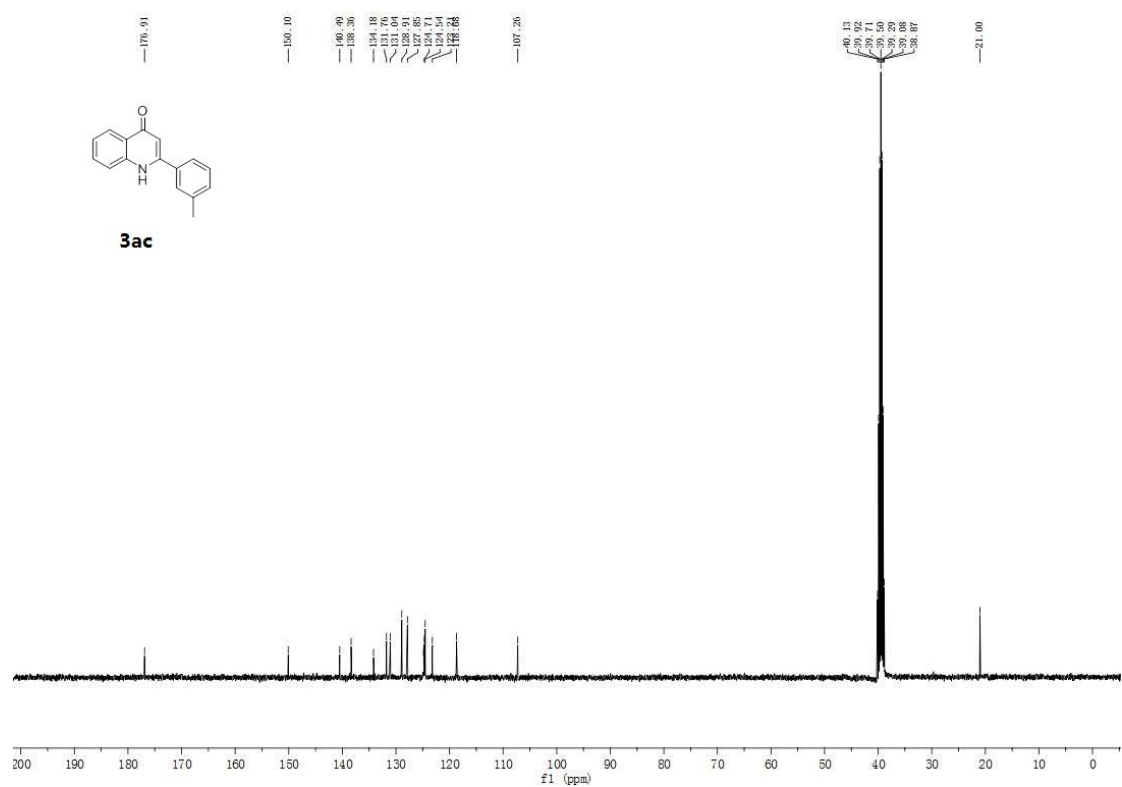
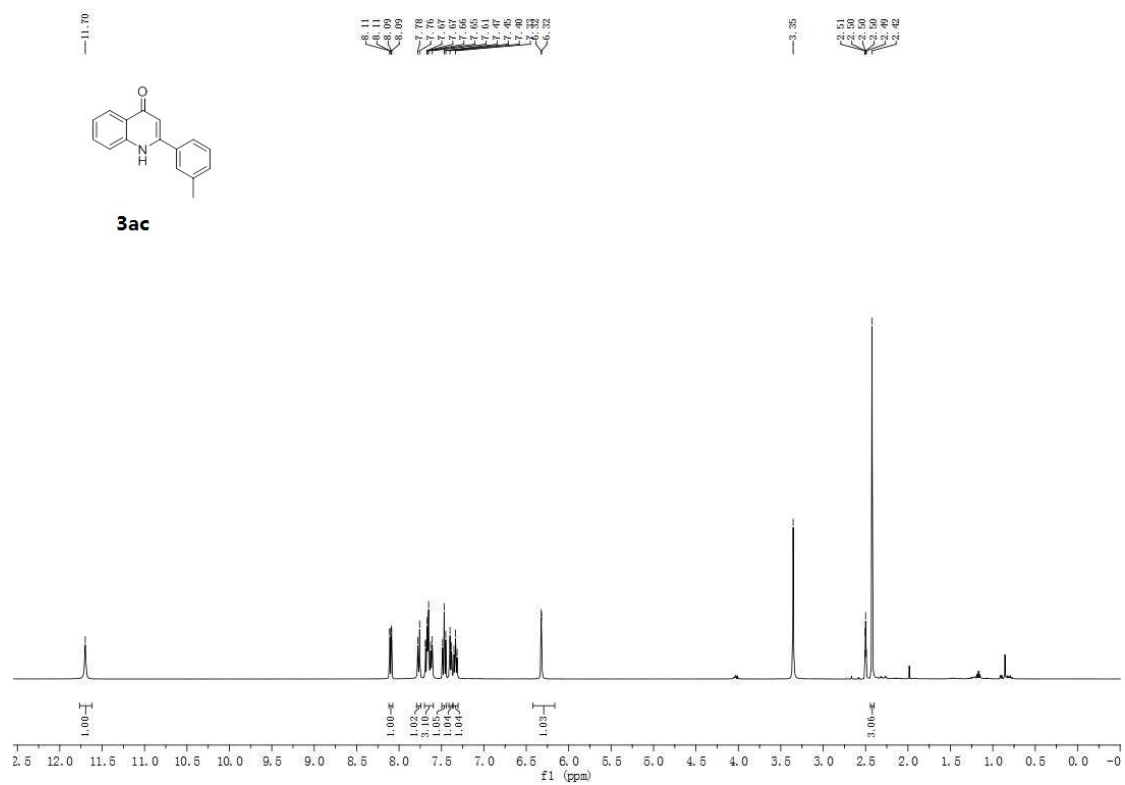
**(E)-1-(2-aminophenyl)-3-phenylprop-2-en-1-one (4)**

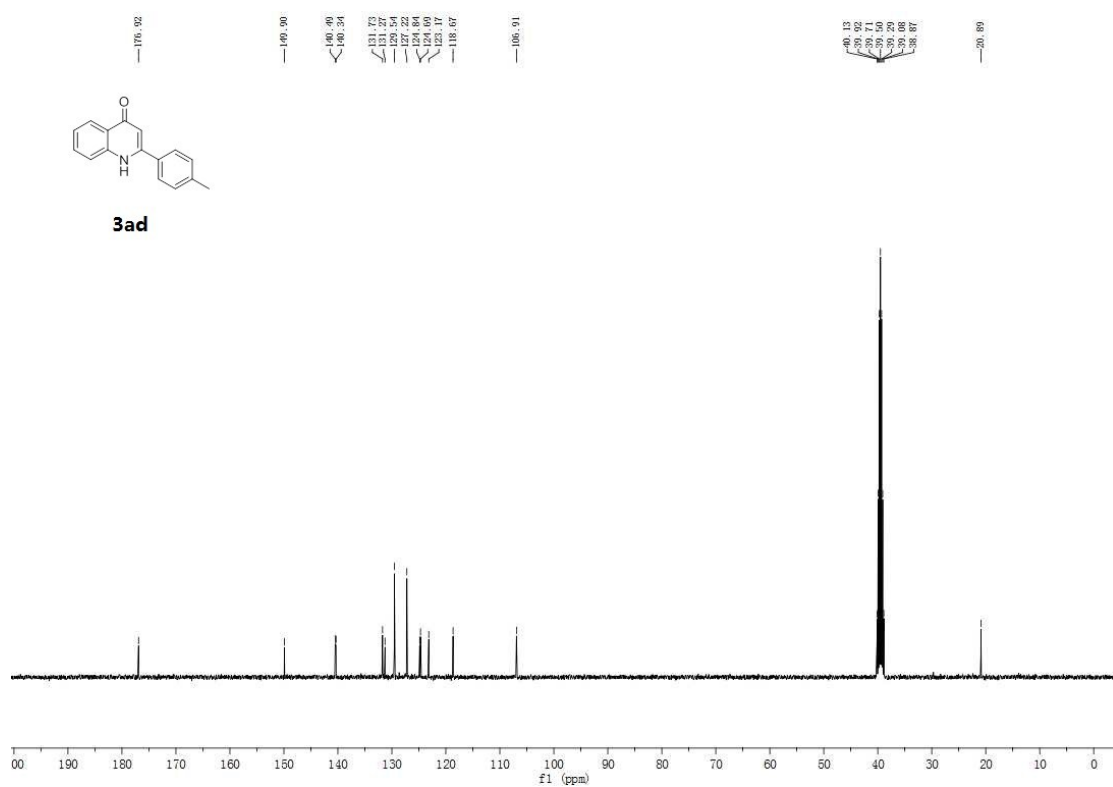
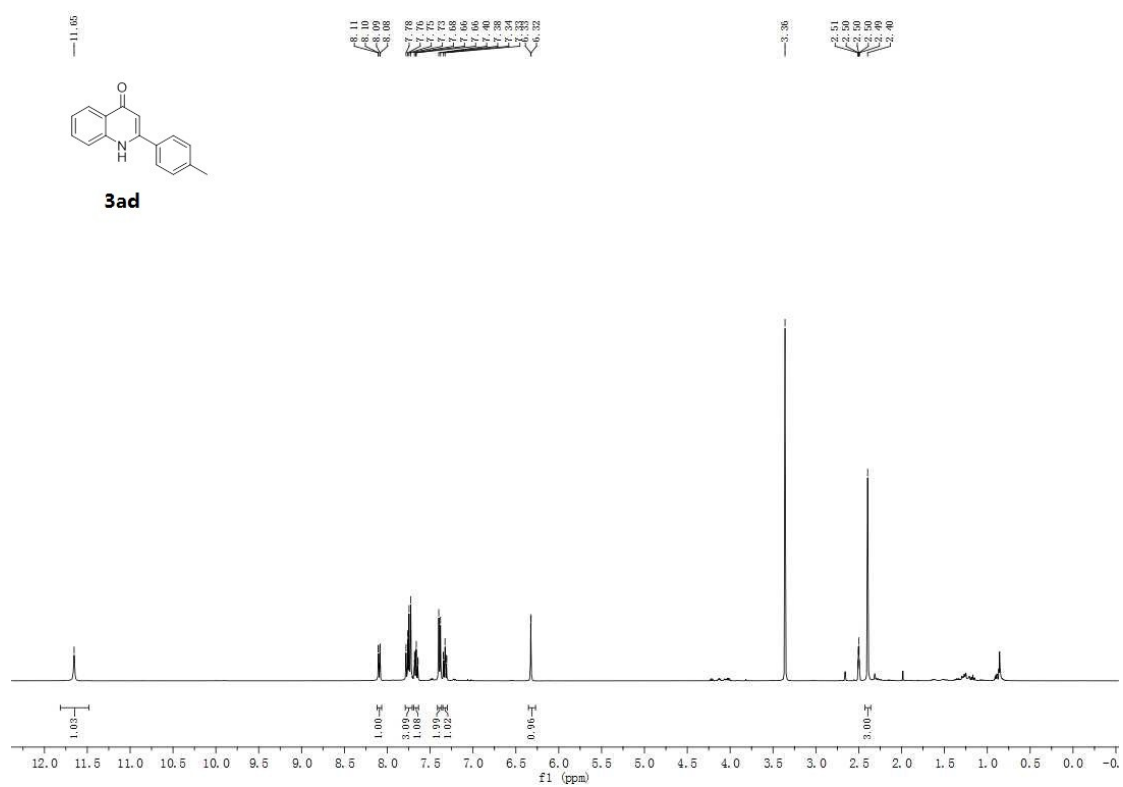
$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.86 (dd,  $J$  = 8.3, 1.2 Hz, 1H), 7.74 (d,  $J$  = 15.6 Hz, 1H), 7.62 (m, 3H), 7.43 – 7.37 (m, 3H), 7.31 – 7.26 (m, 1H), 6.74 – 6.64 (m, 2H), 6.32 (s, 2H). HRMS calcd for  $\text{C}_{15}\text{H}_{14}\text{NO}$   $[\text{M}+\text{H}]^+$  224.1070, found 224.1073.

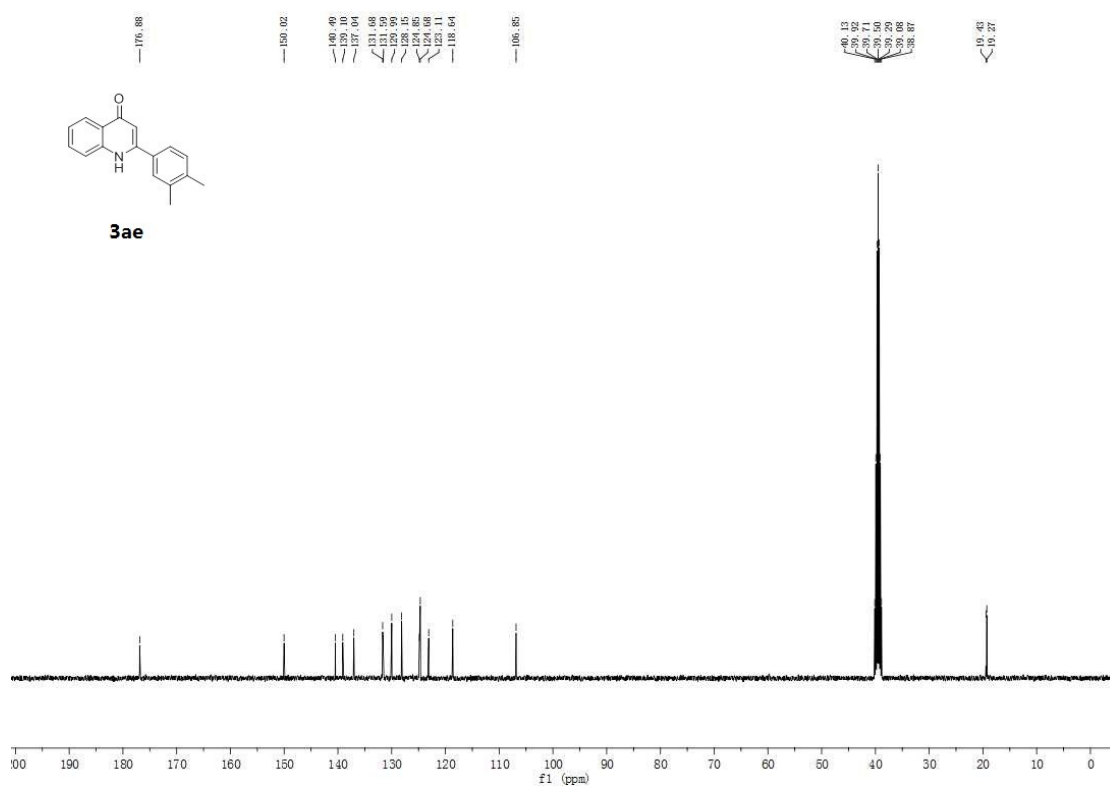
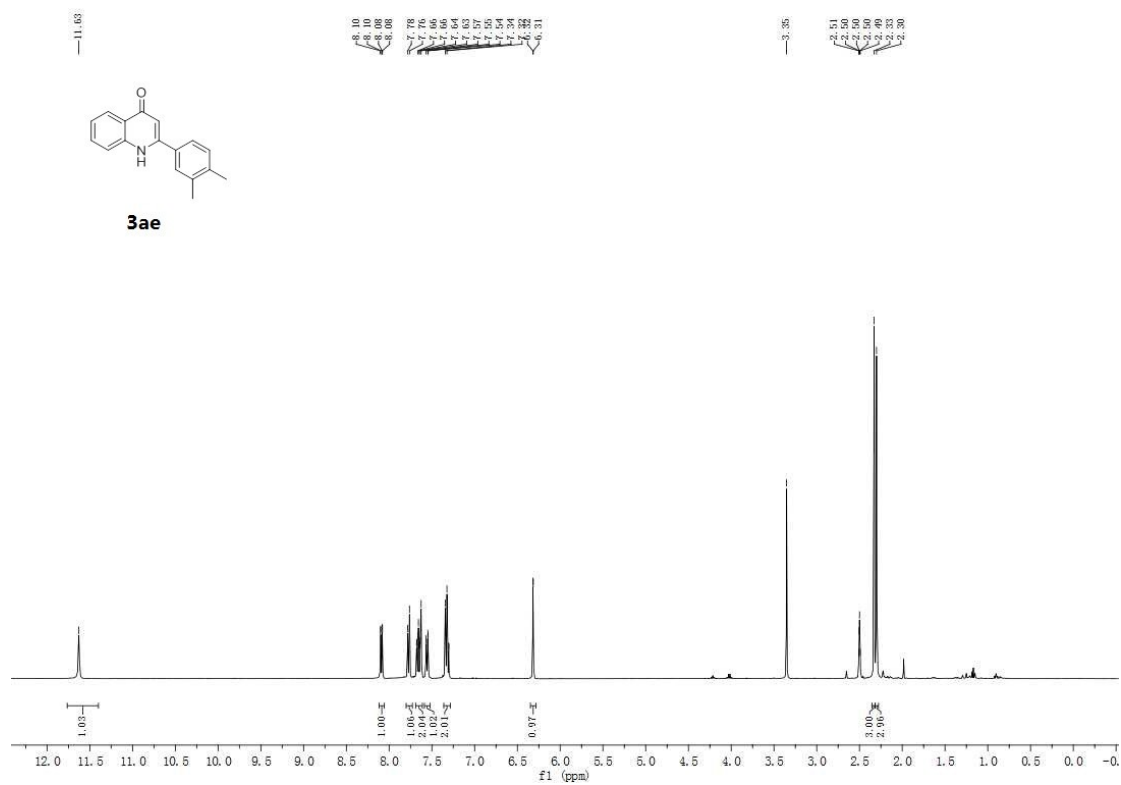
# NMR spectra of all compounds

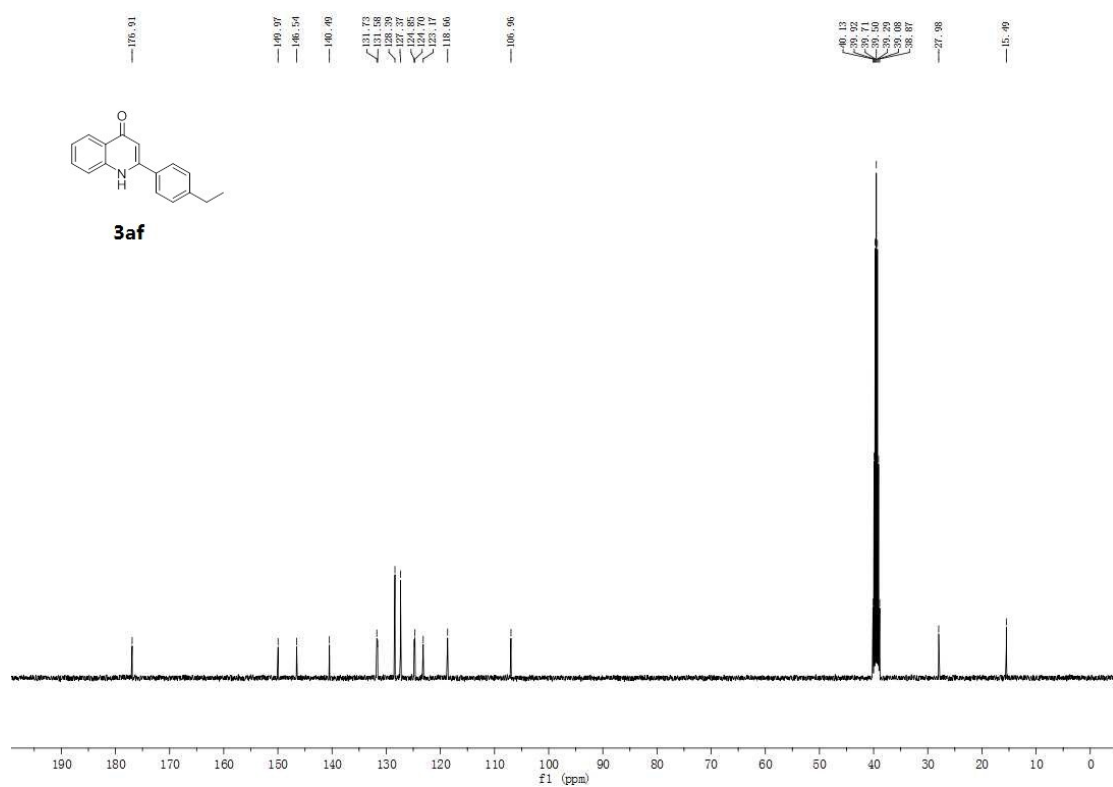
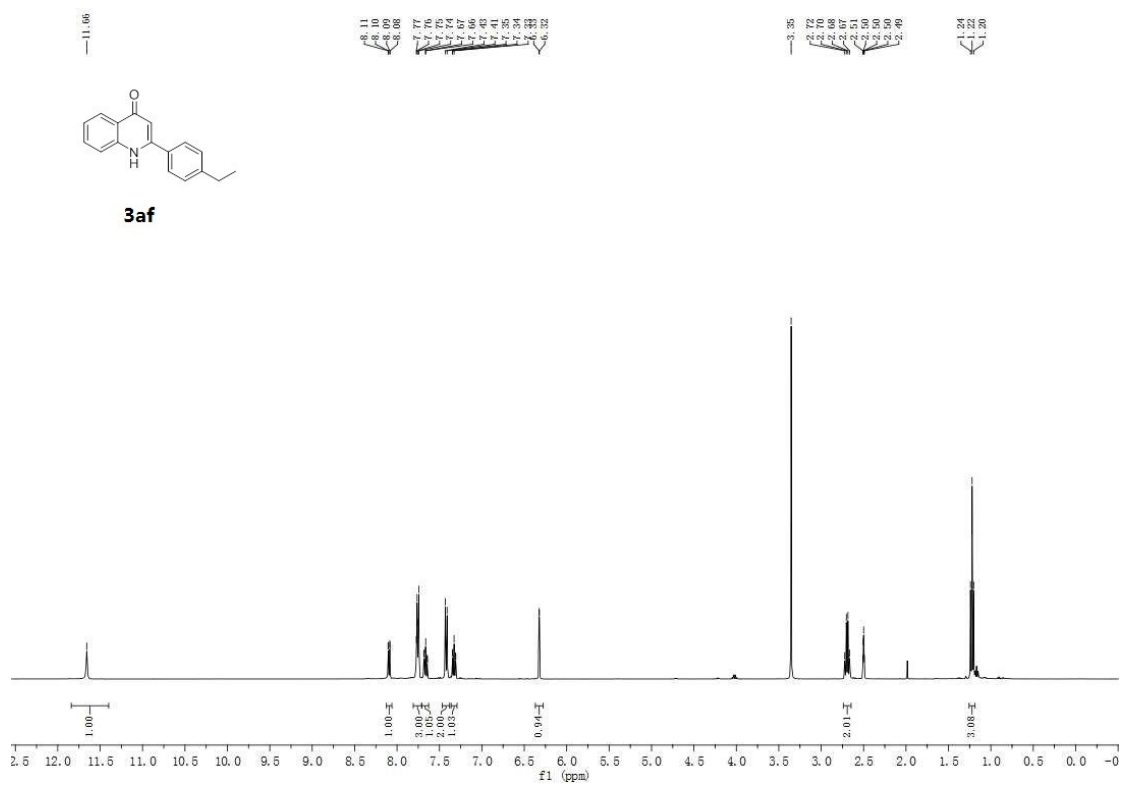




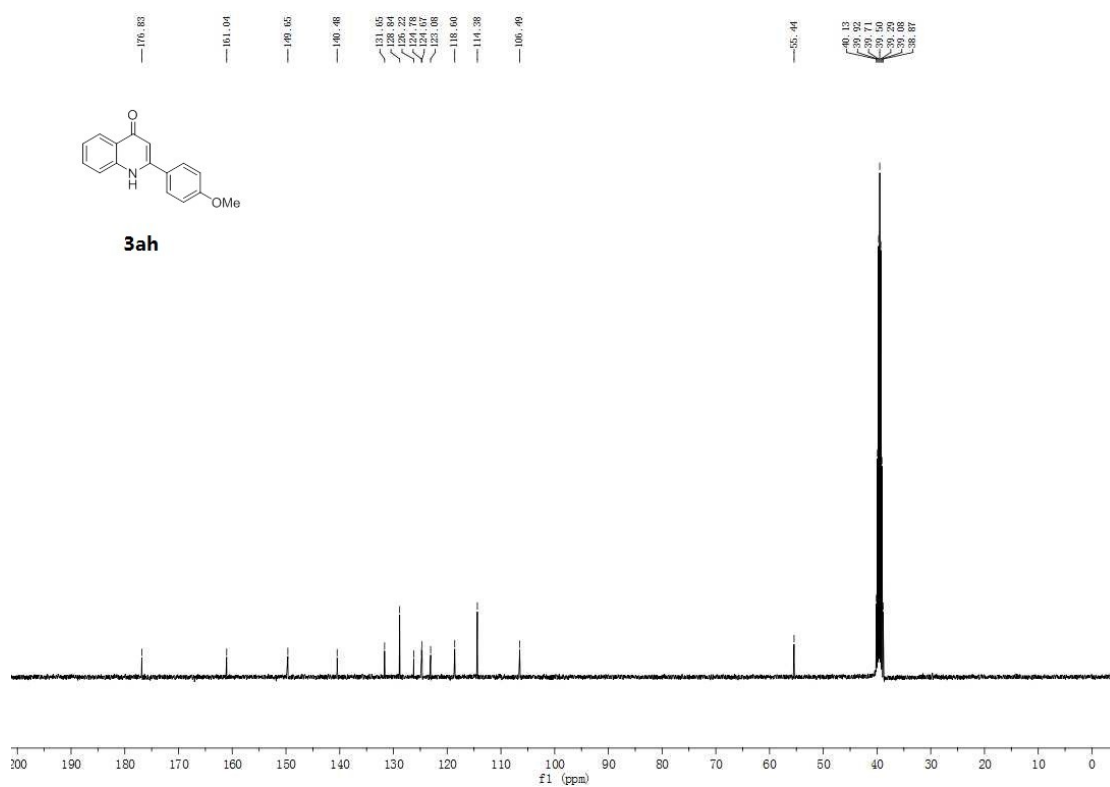
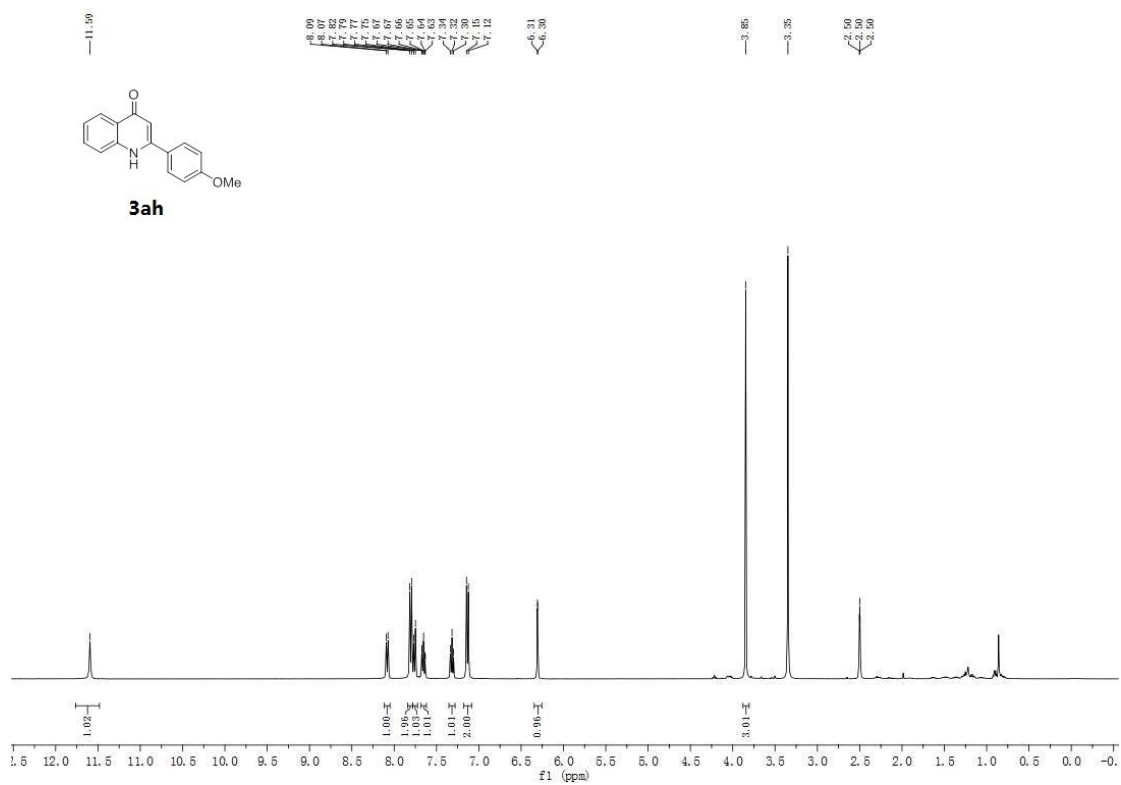


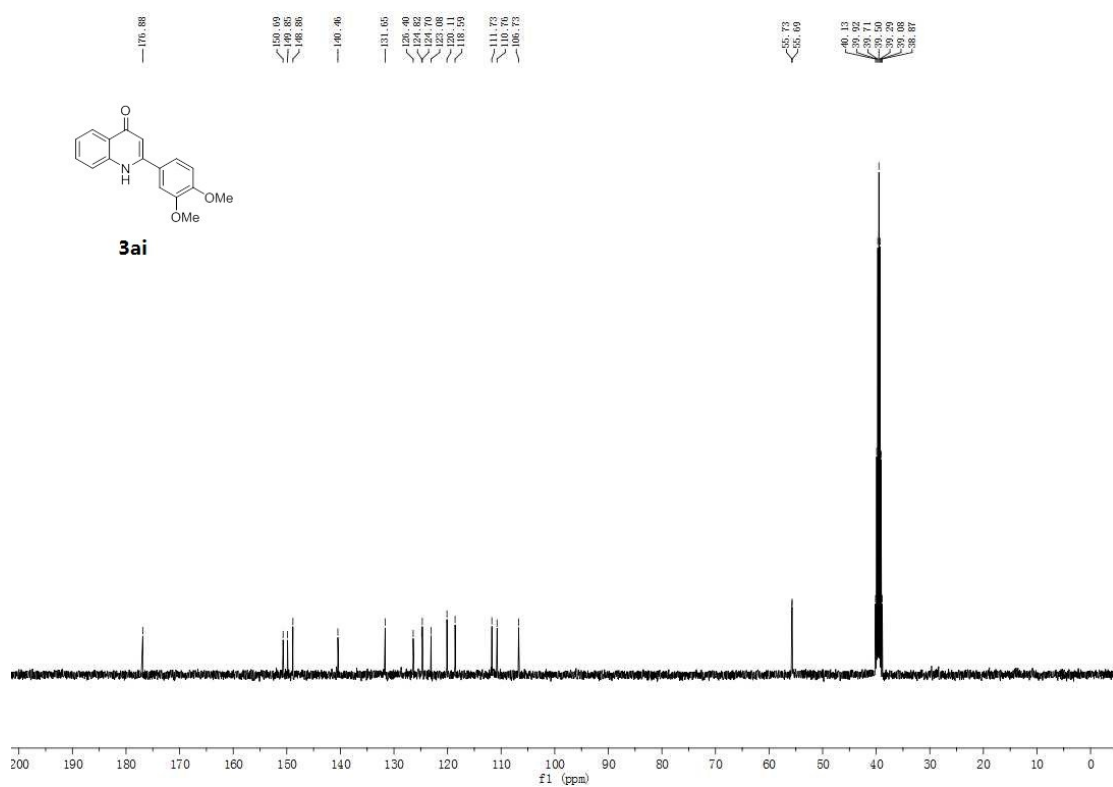
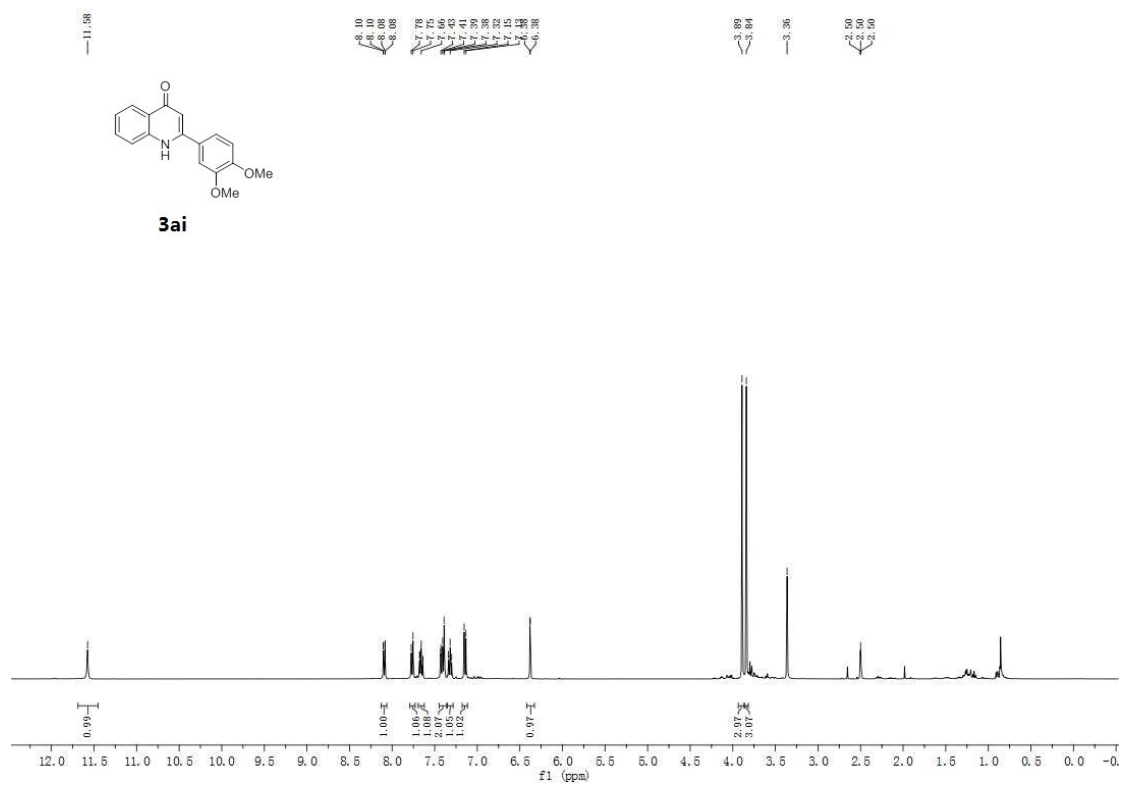


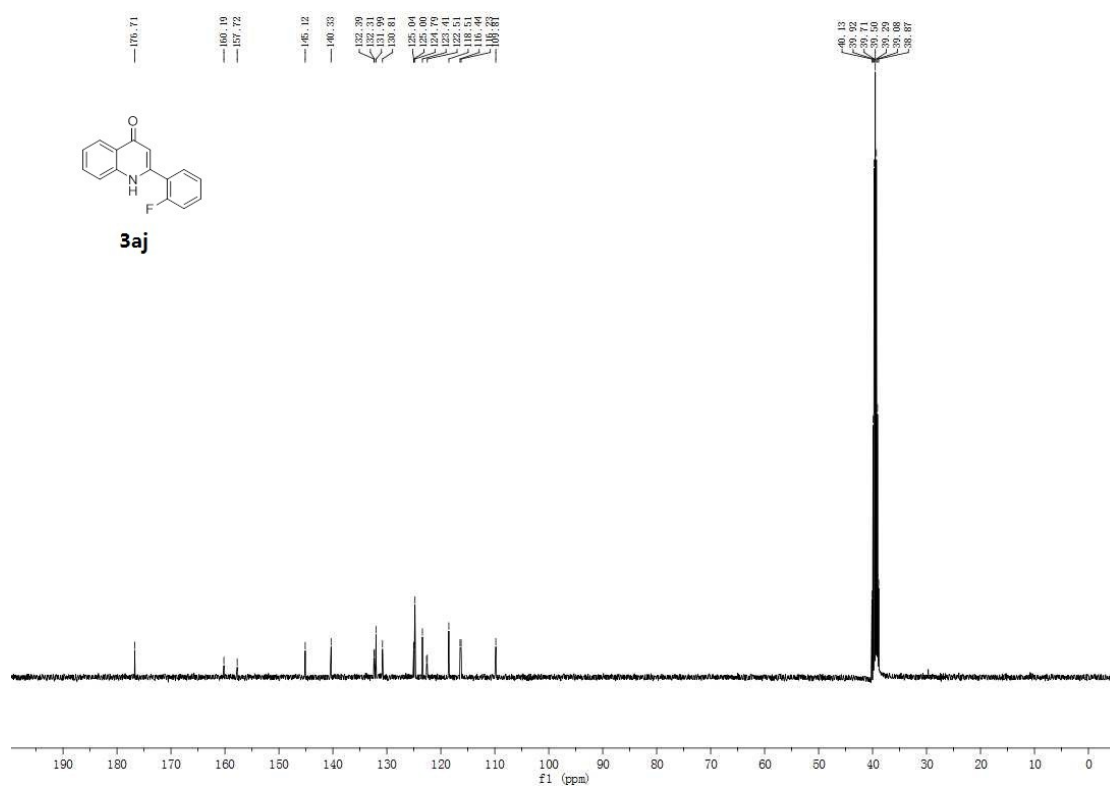
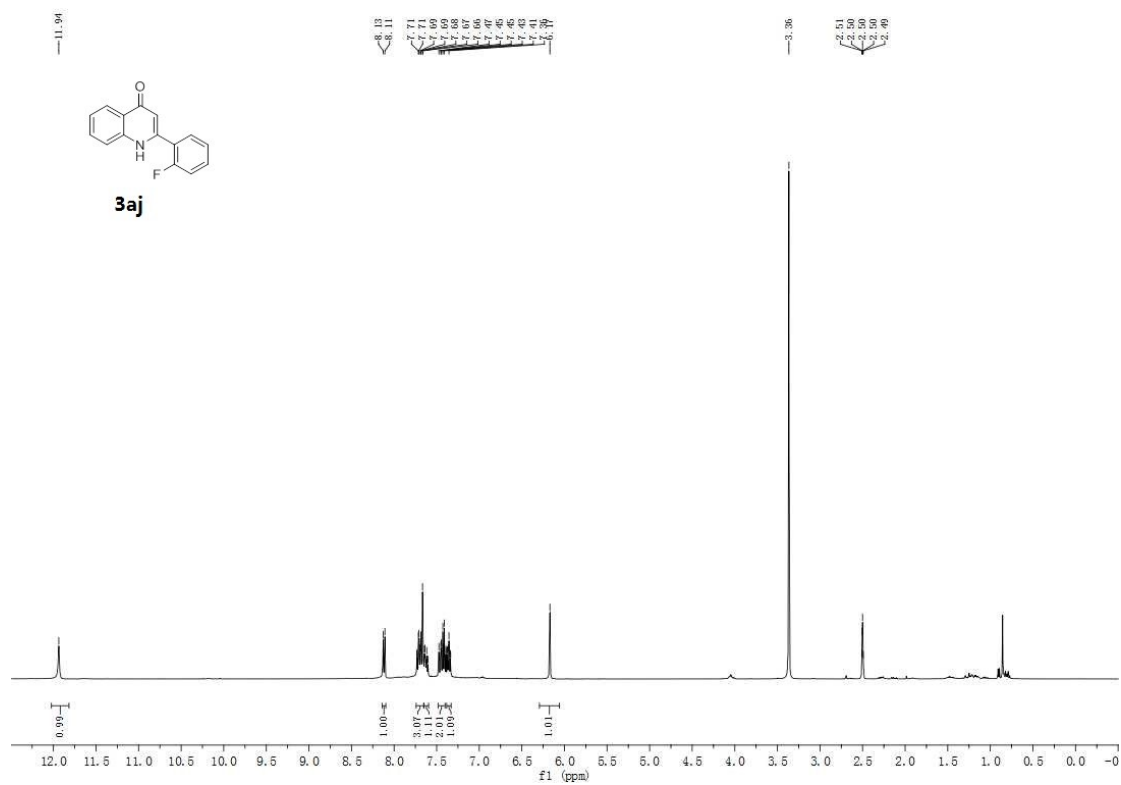


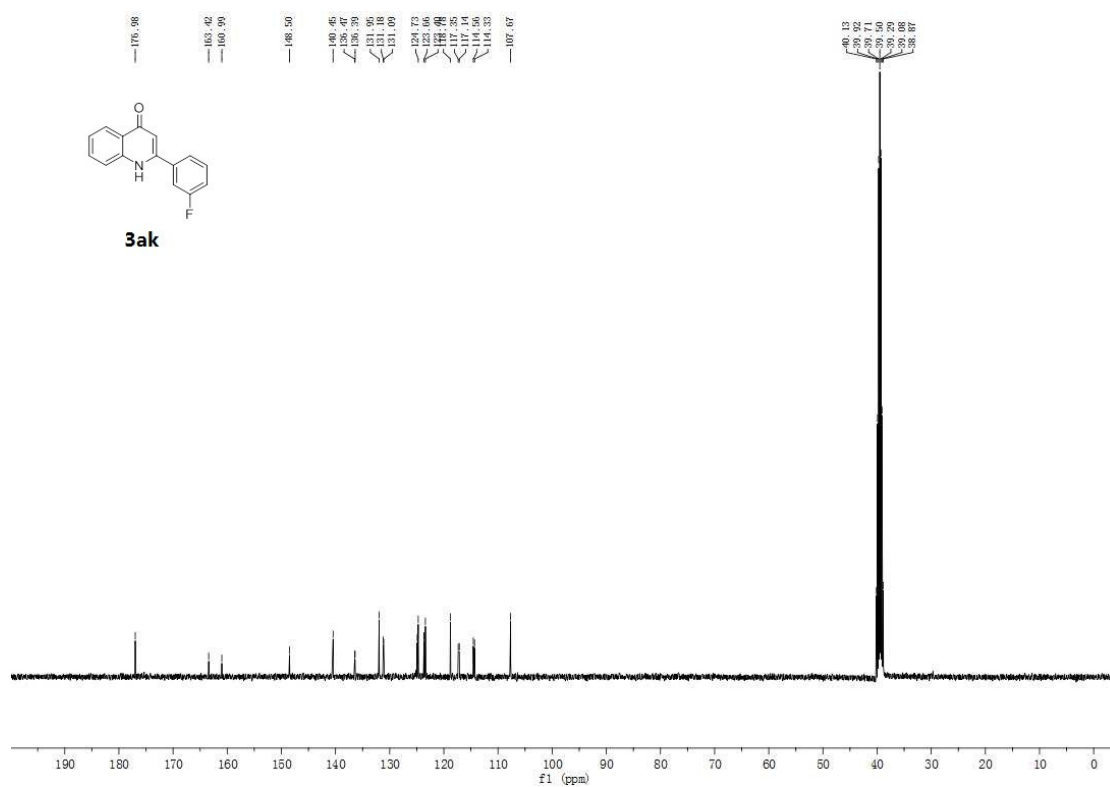
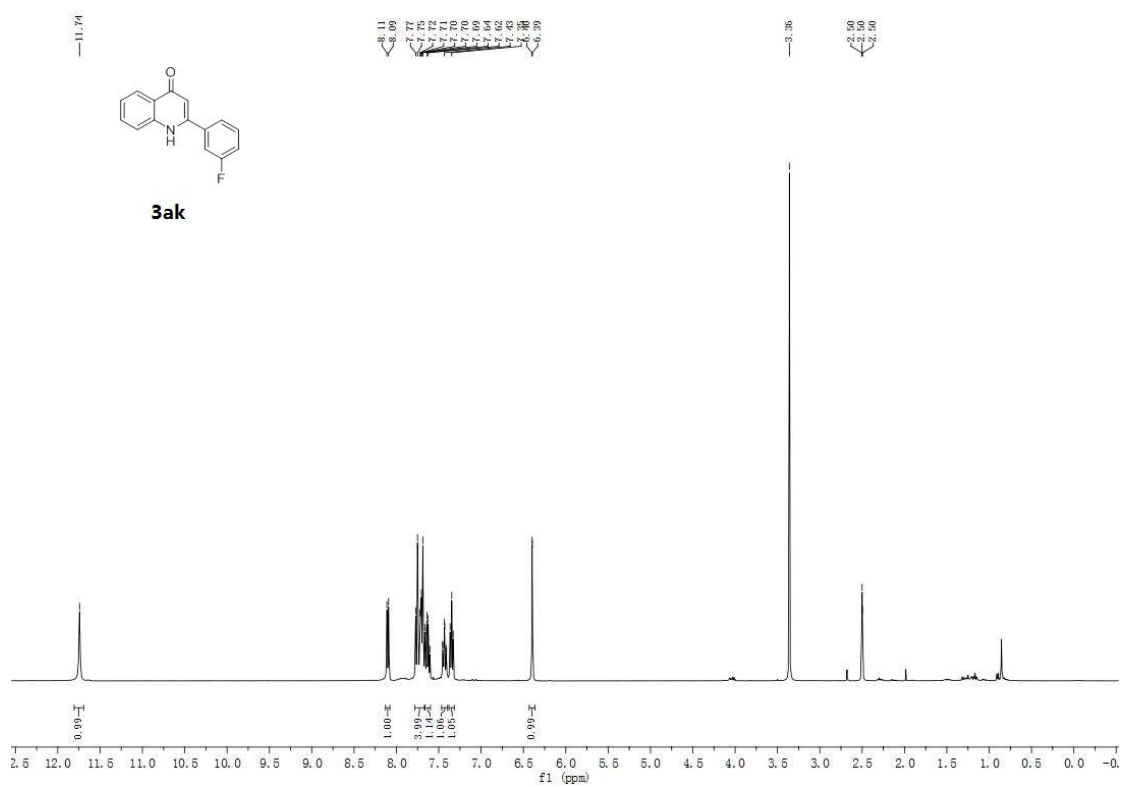


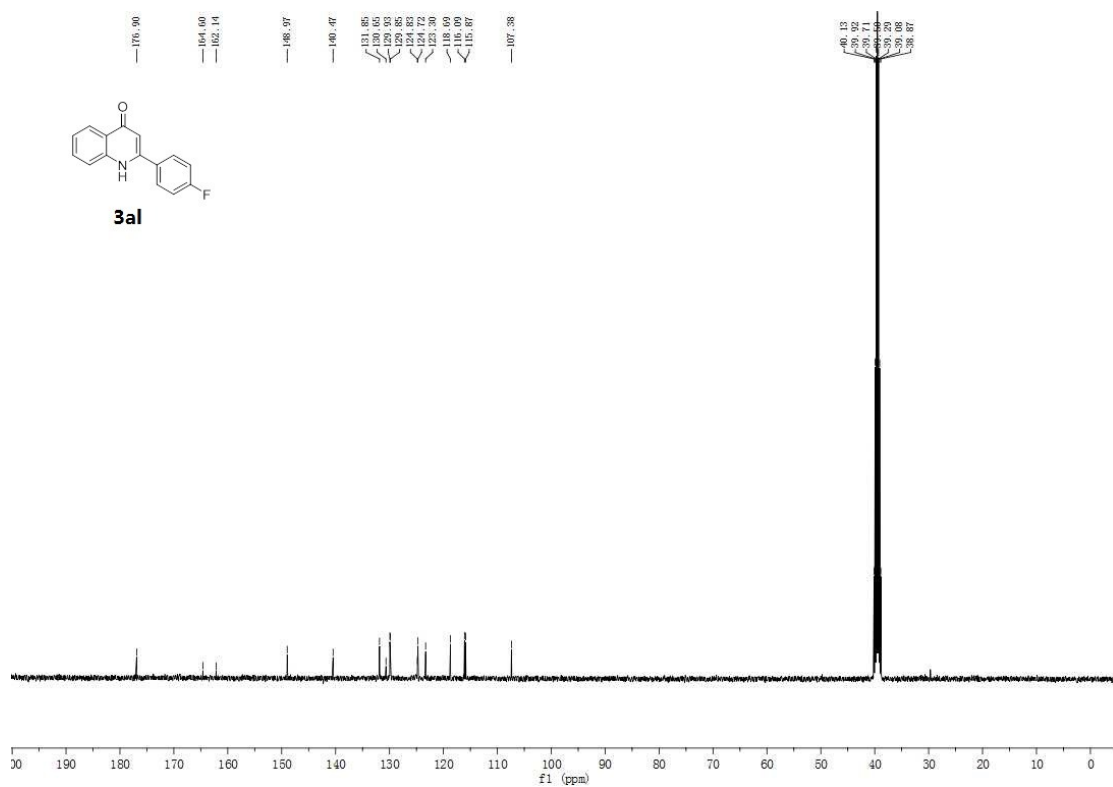
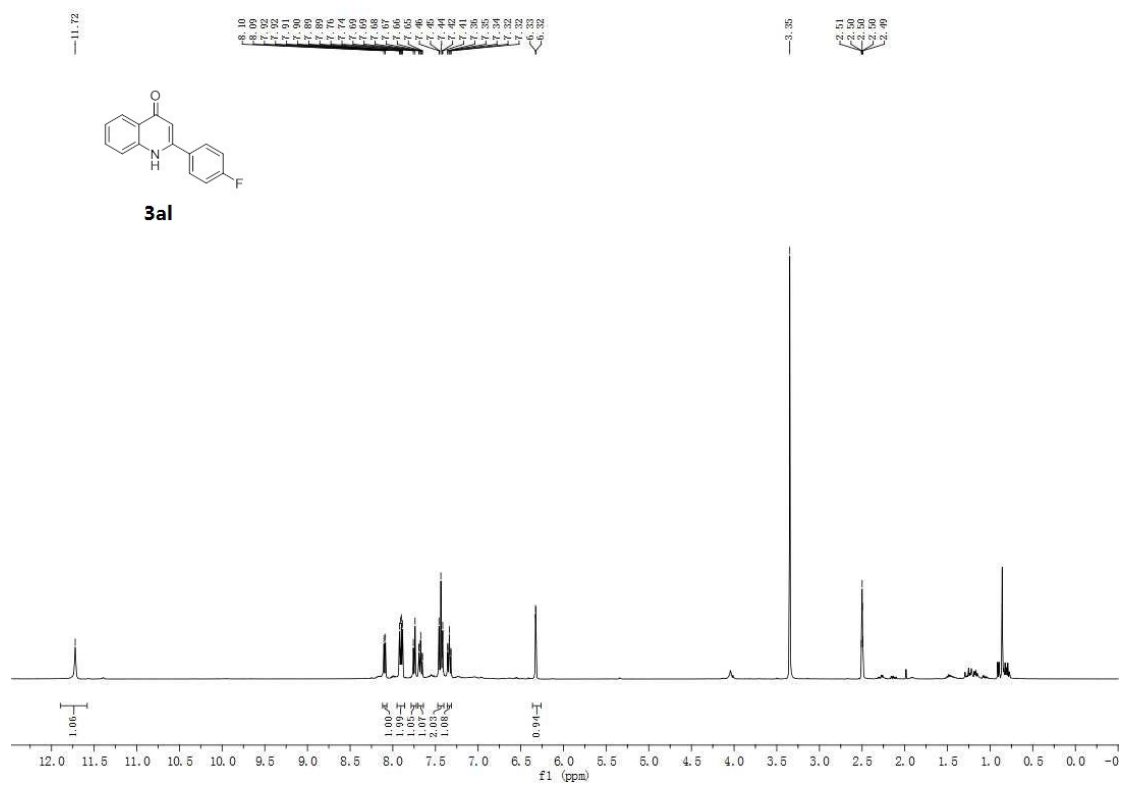


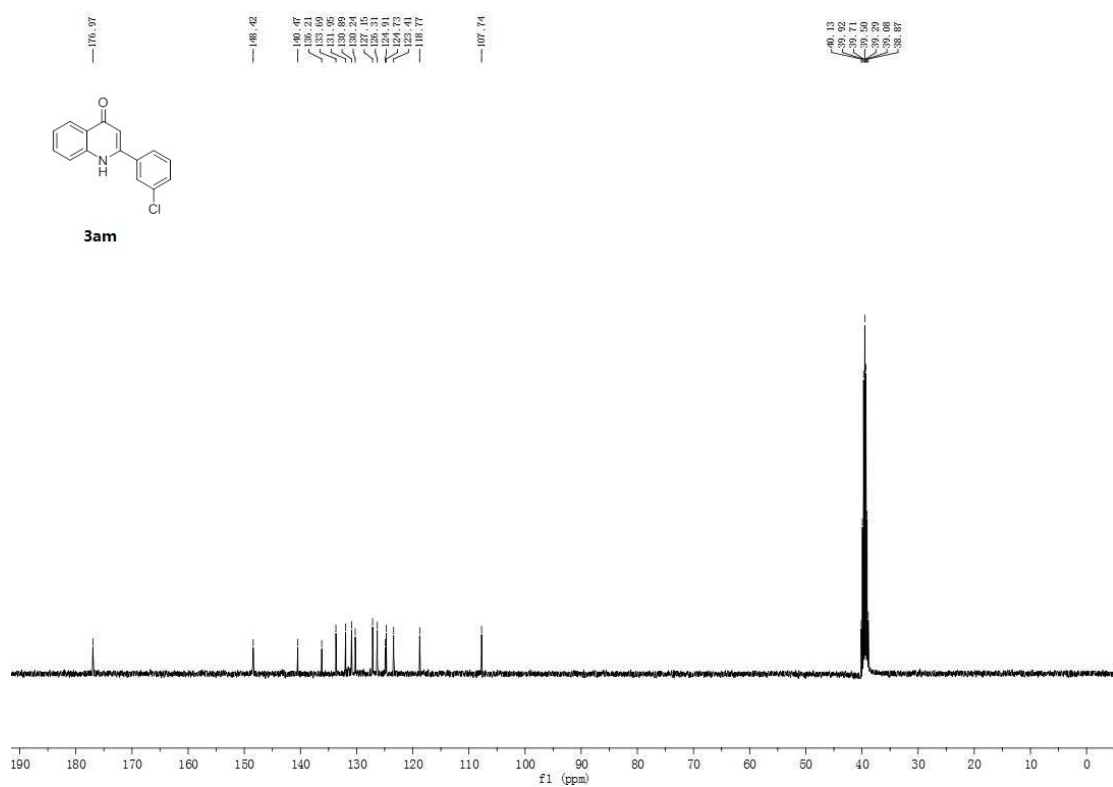
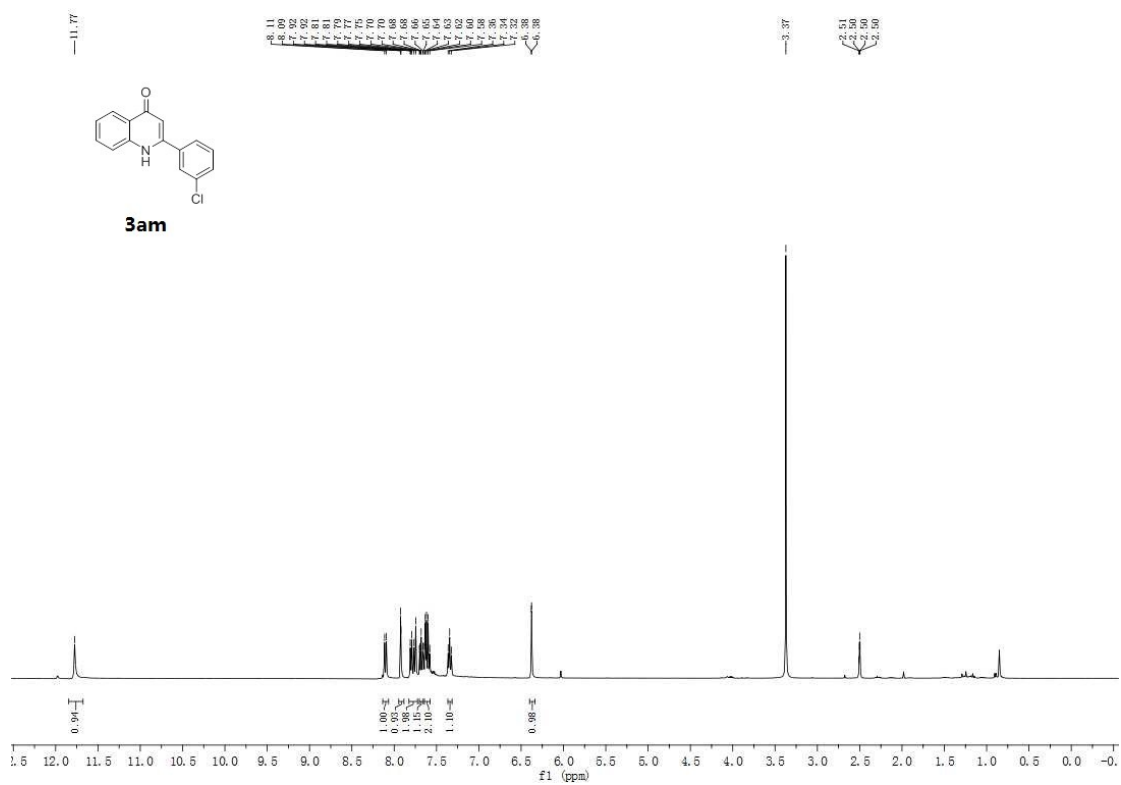


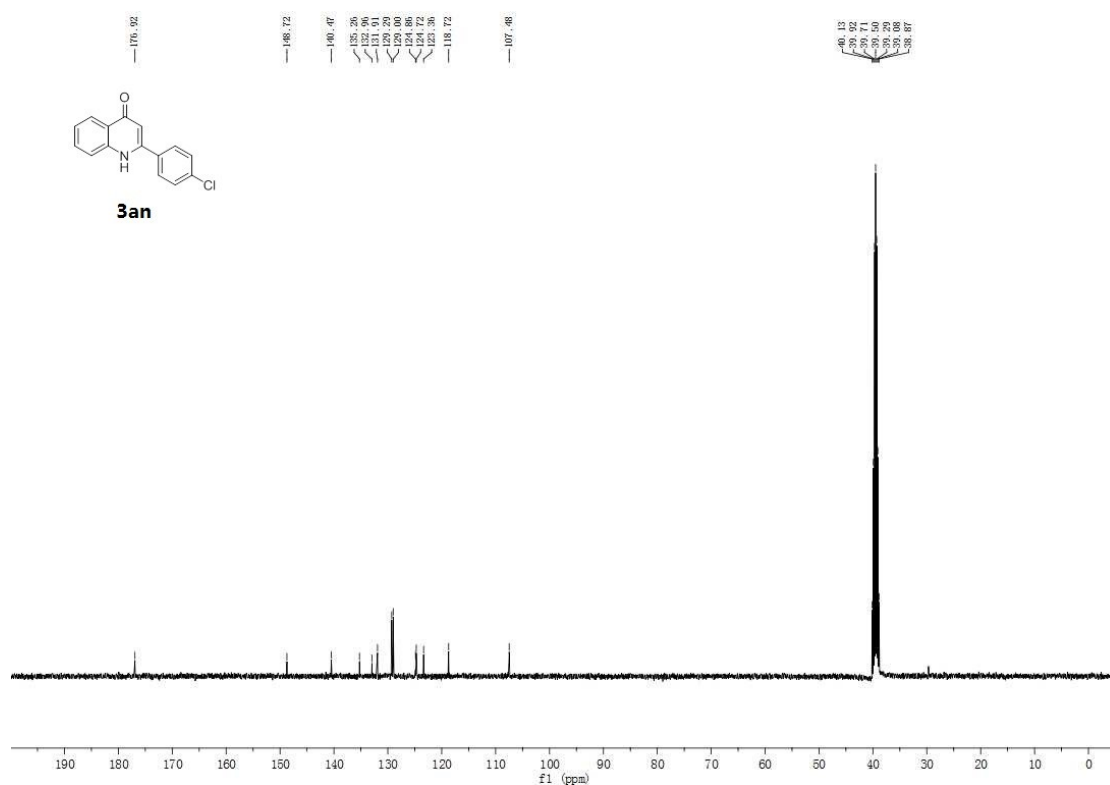
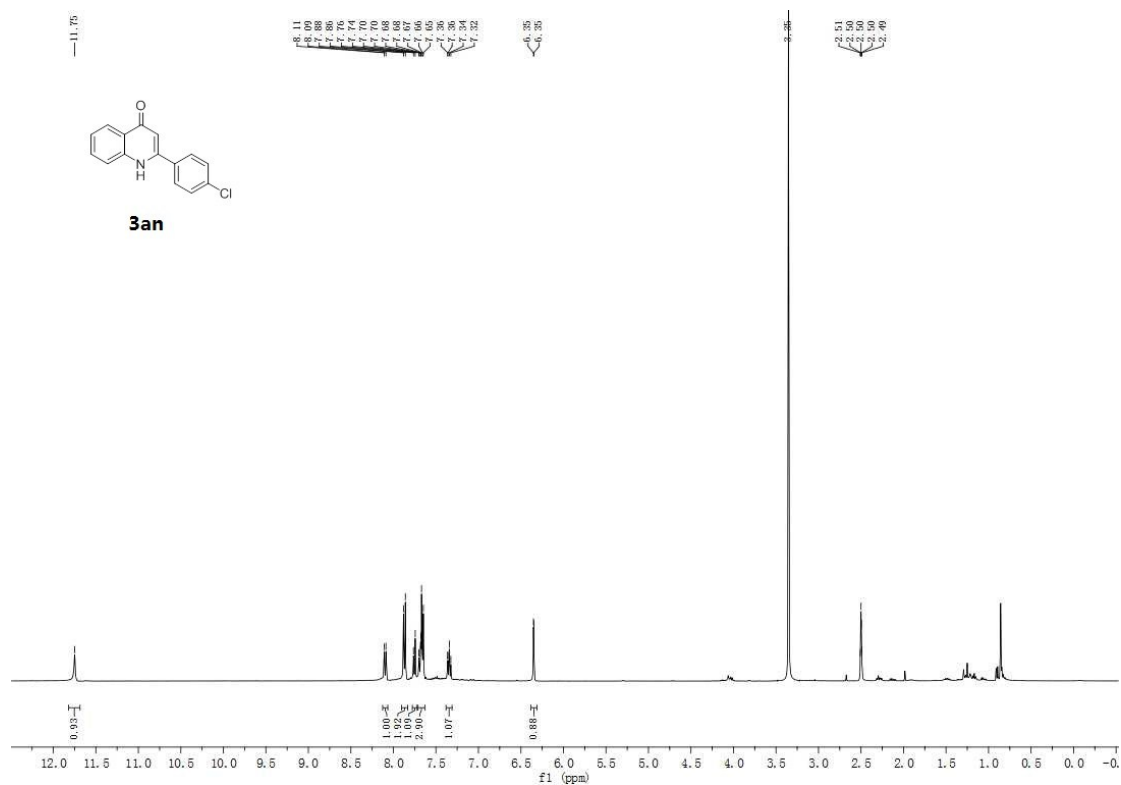




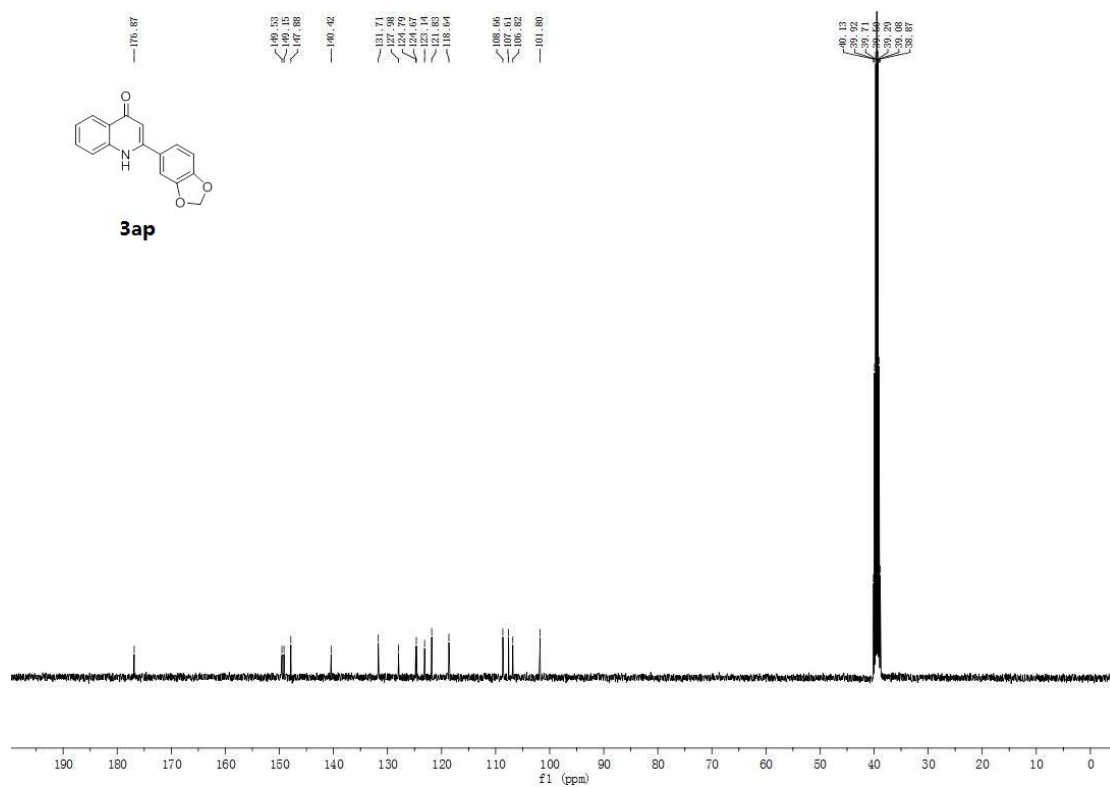
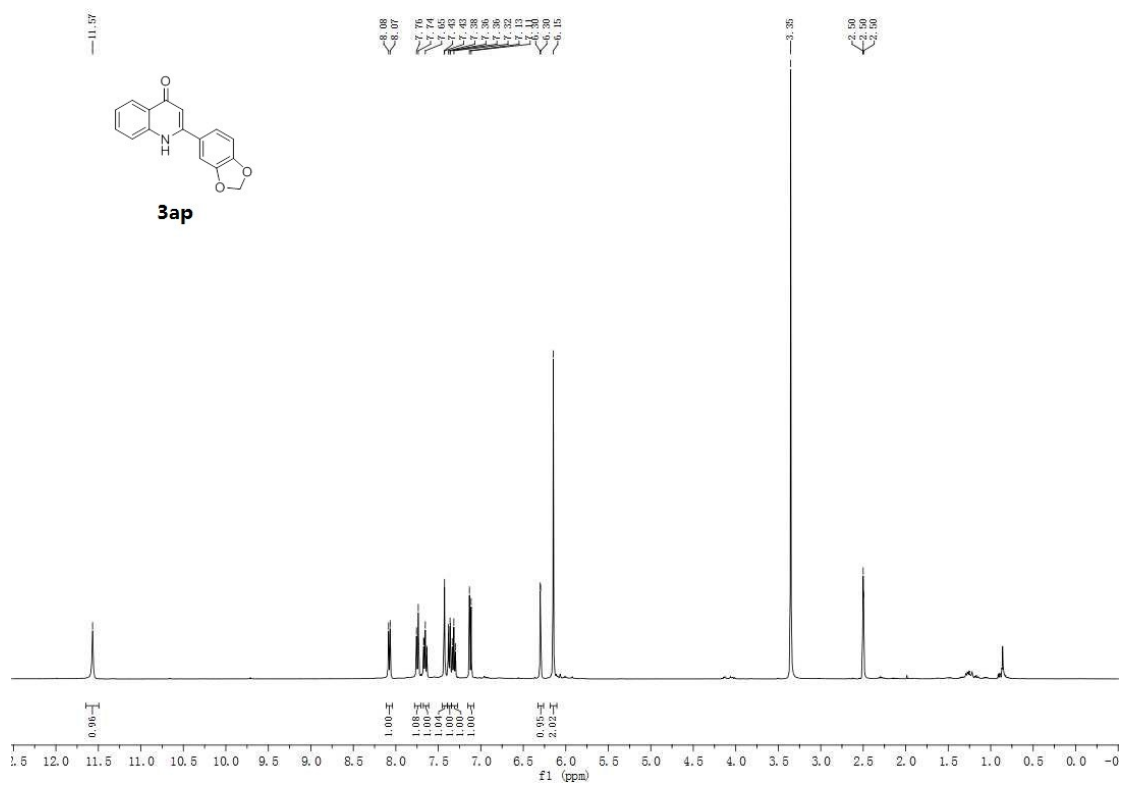


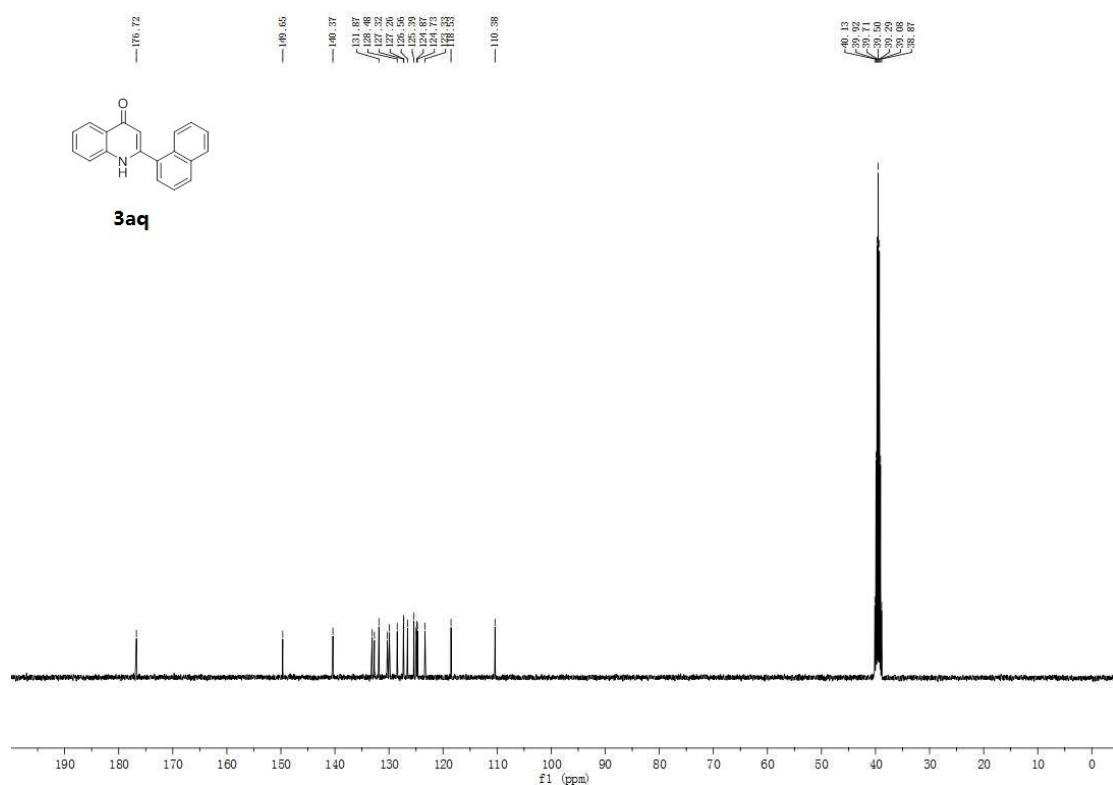
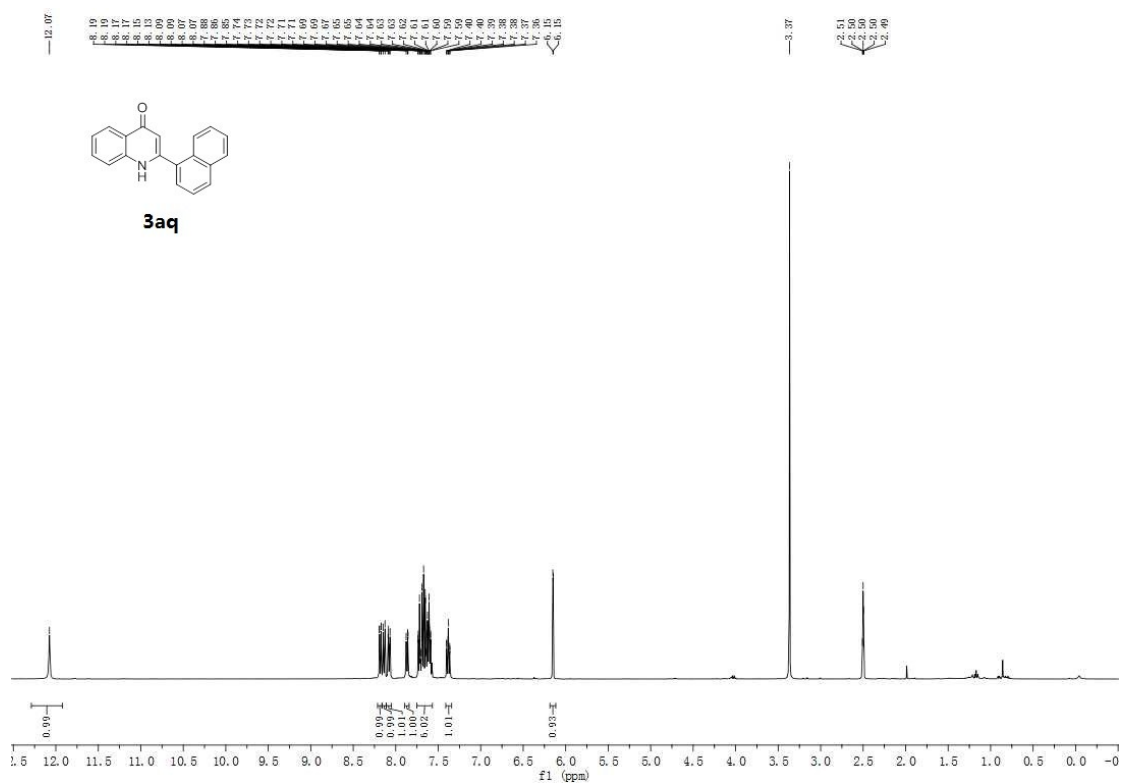


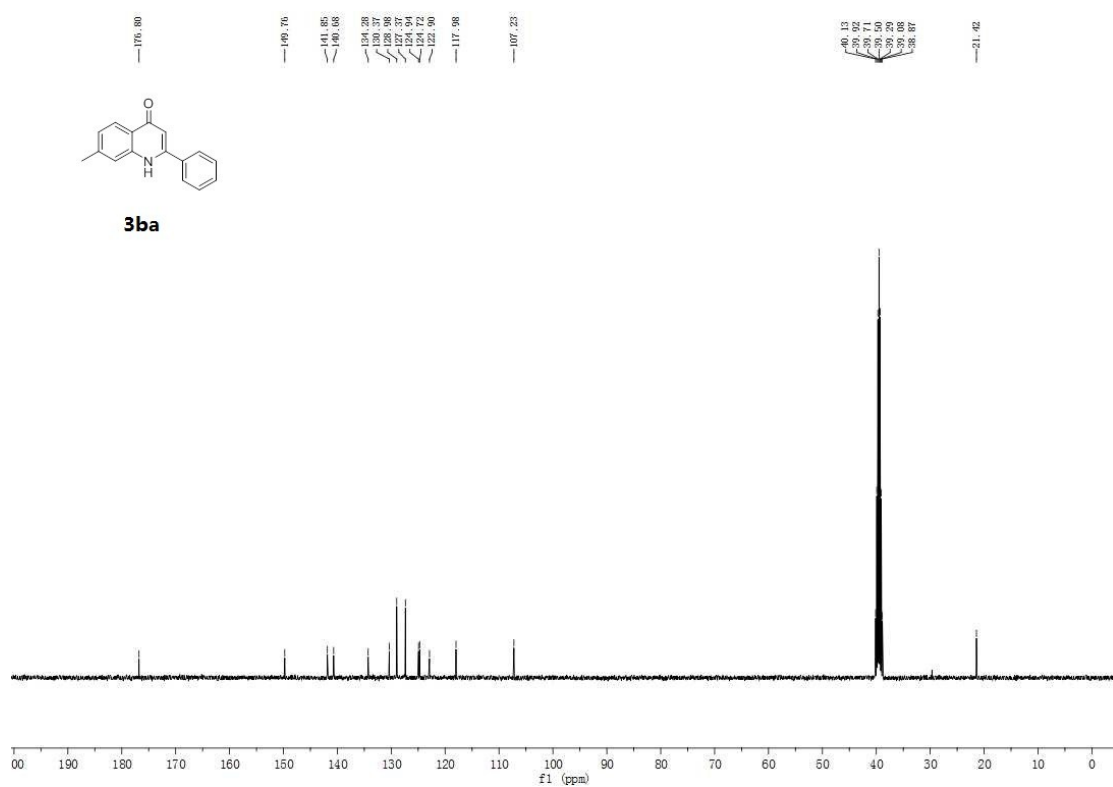
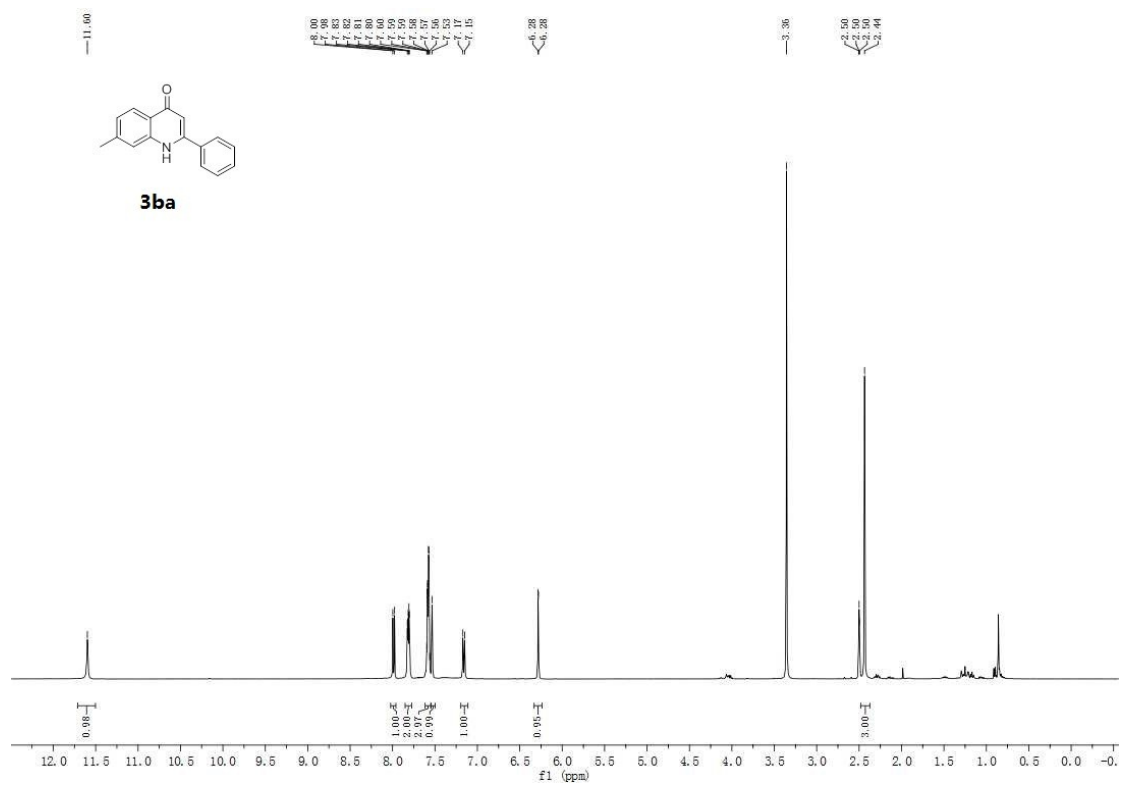


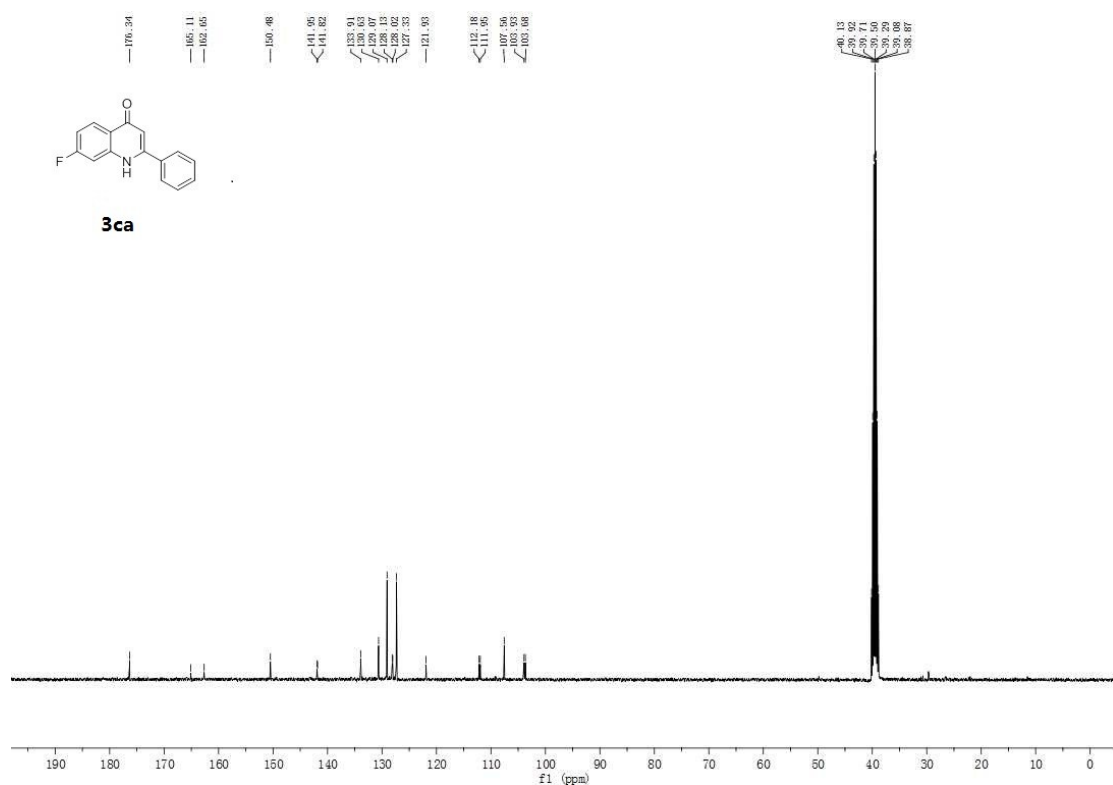


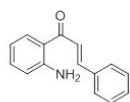












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