

# Facile and Efficient Synthesis of Quinolin-2(1*H*)-ones via Cyclization of Penta-2,4-dienamides Mediated by H<sub>2</sub>SO<sub>4</sub>

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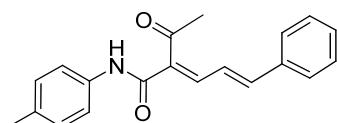
## Supplementary information

I . Synthesis and analytical data of substrates <b>1</b> .....	S2-S6
II . Analytical data of products <b>2b-k</b> .....	S7-S10
III. Copies of NMR spectra for substrates <b>1</b> .....	S11-S22
IV. Copies of NMR spectra for products <b>2</b> .....	S23-S34
V . Copy of mass spectra for the extract of reaction mixture (entry 13, Table 2).....	S35

## I . Synthesis and analytical data of substrates 1

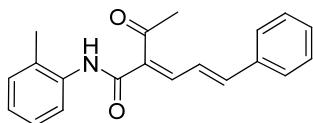
Typical procedure for the synthesis of substituted penta-2,4-dienamides **1** (**1a** as an example): To a 100 mL round-bottomed flask was added 3-oxo-*N*-*p*-tolylbutanamide (0.96 g, 5.0 mmol), cinnamaldehyde (0.66 g, 5.0 mmol), piperidine (0.1 mmol) and ethanol (30 mL). Then the mixture was heated under reflux for 3.5 h, and cooled to room temperature. The resulting mixture was slowly poured into saturated aqueous NaCl (100 mL), and extracted with dichloromethane (3 × 30 mL). The combined organic phase was washed with water (3 × 30 mL) and dried over anhydrous Na<sub>2</sub>SO<sub>4</sub>. The solvent was removed under reduced pressure, and the crude product was purified by flash chromatography (silica gel, petroleum ether: ethyl acetate 10:1) to give **1a** as yellow solid (1.25 g, 82%).

Substrate **1b** is known compound, its spectral and analytical data are in good agreement with those reported in the literature (N. Raman, *J. Indian Chem. Soc.* 2007, **84**, 29).



### 2-Acetyl-5-phenyl-*N*-*p*-tolylpenta-2,4-dienamide (**1a**)

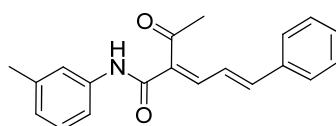
Yellow solid: mp 109-111 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 2.33 (s, 3H), 2.54 (s, 3H), 7.12-7.17 (m, 3H), 7.36-7.40 (m, 3H), 7.39 (d, *J* = 8.4 Hz, 2H), 7.58-7.62 (m, 3H), 8.28-8.35 (dd, *J*<sub>1</sub>=8.4 Hz, *J*<sub>2</sub>=3.3 Hz, 1H), 10.10 (s, 1H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 20.89, 27.57, 120.47, 125.26, 128.29, 128.85, 129.44, 130.16, 131.04, 133.91, 135.46, 135.63, 147.13, 150.70, 162.76, 199.84; IR (KBr, cm<sup>-1</sup>): 3024, 1670, 1574, 1446, 1350, 973, 768, 747; Anal. Calcd for C<sub>20</sub>H<sub>19</sub>NO<sub>2</sub>: C, 78.66; H, 6.27; N, 4.59. Found: C, 78.54; H, 6.34; N, 4.67.



### 2-Acetyl-5-phenyl-*N*-*o*-tolylpenta-2,4-dienamide (**1c**)

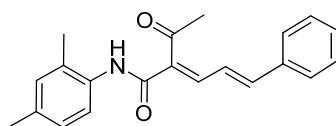
Yellow solid: mp 129-130 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 2.38(s, 3H), 2.58 (s, 3H), 7.07 (t, *J* = 14.7 Hz, 1H), 7.14 (s, 1H), 7.21 (d, *J* = 9.6 Hz, 2H), 7.38 (t, *J* =

2.1Hz, 3H), 7.61-7.65 (m, 2H), 7.69 (s, 1H), 8.13 (d,  $J = 7.8$  Hz, 1H), 8.39-8.48 (dd,  $J_1=10.8$  Hz,  $J_2=4.5$  Hz, 1H), 10.25 (s, 1H);  $^{13}\text{C}$  NMR (75 MHz,  $\text{CDCl}_3$ ):  $\delta$  18.02, 27.46, 122.21, 124.50, 125.51, 126.40, 128.24, 128.75, 130.13, 130.29, 135.54, 136.21, 147.48, 152.17, 162.39, 200.49; IR (KBr,  $\text{cm}^{-1}$ ): 3183, 3042, 1673, 1585, 1453, 1378, 976, 769, 750; Anal. Calcd for  $\text{C}_{20}\text{H}_{19}\text{NO}_2$ : C, 78.66; H, 6.27; N, 4.59. Found: C, 78.73; H, 6.41; N, 4.40.



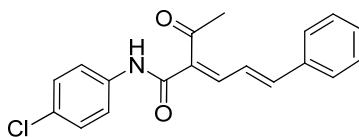
**2-Acetyl-5-phenyl-N-(m-tolyl)penta-2,4-dienamide (1d)**

Yellow solid: mp 110-112 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.37(s, 3H), 2.55 (s, 3H), 6.95 (d,  $J = 6.0$  Hz, 1H), 7.16 (d,  $J = 15.0$  Hz, 1H), 7.23 (d,  $J = 6.0$  Hz, 1H), 7.36-7.41 (m, 3H), 7.46 (d,  $J = 9.0$  Hz, 1H), 7.52 (s, 1H), 7.59-7.64 (m, 3H), 8.28-8.37 (dd,  $J_1=12.0$  Hz,  $J_2=3.0$  Hz, 1H), 10.18 (s, 1H);  $^{13}\text{C}$  NMR (75 MHz,  $\text{CDCl}_3$ ):  $\delta$  21.44, 27.56, 117.57, 121.06, 125.14, 125.33, 128.28, 128.71, 128.81, 130.17, 130.71, 1356.58, 137.83, 138.75, 147.34, 151.31, 162.58, 200.07; IR (KBr,  $\text{cm}^{-1}$ ): 3447, 1664, 1650, 1591, 1566, 1489, 1375, 972, 754, 690; Anal. Calcd for  $\text{C}_{20}\text{H}_{19}\text{NO}_2$ : C, 78.66; H, 6.27; N, 4.59. Found: C, 78.24; H, 6.09; N, 4.94.



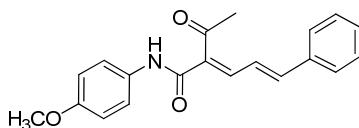
**2-Acetyl-N-(2,4-dimethylphenyl)-5-phenylpenta-2,4-dienamide (1e)**

Yellow solid: mp 129-130 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.31 (s, 3H), 2.34 (s, 3H), 2.57 (s, 3H), 7.06 (d,  $J = 7.8$  Hz, 2H), 7.16 (d,  $J = 16.2$  Hz, 1H), 7.39 (d,  $J = 3.6$  Hz, 3H), 7.62 (t,  $J = 4.5$  Hz, 2H), 7.76 (s, 1H), 7.95 (d,  $J = 7.5$  Hz, 1H), 8.37-8.46 (dd,  $J_1=10.8$  Hz,  $J_2=4.5$  Hz, 1H), 10.10 (s, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  18.02, 20.84, 27.60, 122.61, 125.75, 127.04, 128.35, 128.86, 129.08, 130.19, 130.60, 131.09, 133.62, 134.36, 135.73, 147.36, 152.00, 162.47, 200.59; IR (KBr,  $\text{cm}^{-1}$ ): 3179, 3023, 1672, 1594, 1538, 1445, 1378, 976, 742; Anal. Calcd for  $\text{C}_{21}\text{H}_{21}\text{NO}_2$ : C, 78.97; H, 6.63; N, 4.39. Found: C, 78.74; H, 6.76; N, 4.51.



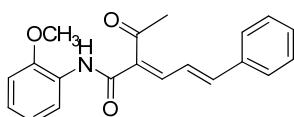
**2-Acetyl-N-(4-chlorophenyl)-5-phenylpenta-2,4-dienamide (1f)**

White solid: mp 76-77 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.56 (s, 3H), 7.18 (d,  $J = 15.6$  Hz, 1H), 7.31 (d,  $J = 8.7$  Hz, 2H), 7.38-7.41 (m, 3H), 7.61-7.68 (m, 5H), 8.35-8.44 (dd,  $J_1=11.4$  Hz,  $J_2=4.2$  Hz, 1H), 10.45 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  27.64, 121.71, 125.48, 128.44, 128.93, 129.148, 129.68, 130.44, 135.57, 136.60, 148.18, 152.82, 162.47, 200.62; IR (KBr,  $\text{cm}^{-1}$ ): 3292, 1718, 1670, 1591, 1537, 1490, 1385, 829, 748, 700; Anal. Calcd for  $\text{C}_{19}\text{H}_{16}\text{ClNO}_2$ : C, 70.05; H, 4.95; N, 4.30. Found: C, 70.24; H, 4.82; N, 4.52.



**2-Acetyl-N-(4-methoxyphenyl)-5-phenylpenta-2,4-dienamide (1g)**

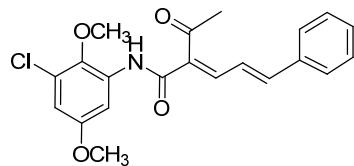
Orange solid: mp 116-118 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.55 (s, 3H), 3.81 (s, 3H), 6.90 (d,  $J = 9.0$  Hz, 2H), 7.14 (d,  $J = 15.6$  Hz, 1H), 7.37 (d,  $J = 2.1$  Hz, 2H), 7.38 (d,  $J = 1.5$  Hz, 1H), 7.55-7.63 (m, 5H), 8.30-8.39 (dd,  $J_1=11.4$  Hz,  $J_2=4.2$  Hz, 1H), 10.10 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  27.45, 55.30, 114.00, 122.02, 125.26, 128.17, 128.74, 130.04, 130.86, 131.07, 135.56, 146.97, 150.69, 156.32, 162.50, 199.85; IR (KBr,  $\text{cm}^{-1}$ ): 3121, 3056, 1664, 1579, 1452, 1359, 973, 762, 751; Anal. Calcd for  $\text{C}_{20}\text{H}_{19}\text{NO}_3$ : C, 74.75; H, 5.96; N, 4.36. Found: C, 74.88; H, 5.86; N, 4.45.



**2-Acetyl-N-(2-methoxyphenyl)-5-phenylpenta-2,4-dienamide (1h)**

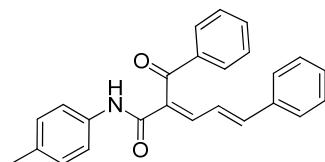
Orange solid: mp 111-112 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.54 (s, 3H), 3.93 (s, 3H), 6.92 (d,  $J = 7.2$  Hz, 1H), 7.02 (d,  $J = 8.1$  Hz, 1H), 7.09 (t,  $J = 8.1$  Hz, 1H), 7.17 (s, 1H), 7.59 (d,  $J = 8.7$  Hz, 3H), 8.16 (t,  $J = 12.0$  Hz, 1H), 8.52 (d,  $J = 7.8$  Hz, 1H), 10.20 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  27.38, 55.70, 110.05, 120.38, 120.75, 123.93, 125.09, 127.69, 128.09, 128.70, 129.95, 131.62, 135.55, 146.69, 148.69, 149.98, 162.53, 199.26; IR (KBr,  $\text{cm}^{-1}$ ): 3176, 3093, 1671, 1575, 1456, 1384, 768,

747; Anal. Calcd for C<sub>20</sub>H<sub>19</sub>NO<sub>3</sub>: C, 74.75; H, 5.96; N, 4.36. Found: C, 74.91; H, 5.88; N, 4.29.



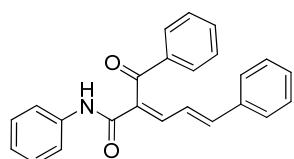
**2-Acetyl-N-(3-chloro-2,5-dimethoxyphenyl)-5-phenylpenta-2,4-dienamide (1i)**

Yellow solid: mp 143-144 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 2.56 (s, 3H), 3.90 (s, 3H), 3.96 (s, 3H), 6.93 (s, 1H), 7.18 (d, J = 15.0 Hz, 1H), 7.40 (d, J = 6.0 Hz, 3H), 7.61-7.65 (m, 3H), 8.19-8.28 (dd, J<sub>1</sub> = 12.0 Hz, J<sub>2</sub> = 4.0 Hz, 1H), 8.42 (s, 1H), 10.51 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 27.43, 56.51, 56.70, 105.51, 112.33, 115.74, 125.12, 127.29, 128.25, 128.78, 130.19, 130.55, 135.50, 142.85, 147.53, 148.83, 151.40, 162.41, 199.74; IR (KBr, cm<sup>-1</sup>): 3134, 1674, 1593, 1529, 1489, 1398, 1213, 733; Anal. Calcd for C<sub>21</sub>H<sub>20</sub>ClNO<sub>4</sub>: C, 65.37; H, 5.22; N, 3.63. Found: C, 65.14; H, 5.29; N, 3.91.



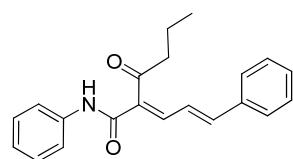
**2-Benzoyl-5-phenyl-N-(p-tolyl)penta-2,4-dienamide (1j)**

Yellow solid: mp 166-167 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 2.34 (s, 3H), 6.95 (d, J = 15.0 Hz, 1H), 7.16-7.23 (m, 3H), 7.34-7.37 (m, 3H), 7.48-7.65 (m, 7H), 7.81 (d, J = 1.5 Hz, 1H), 7.84 (s, 1H), 8.27-8.36 (dd, J<sub>1</sub> = 12.0 Hz, J<sub>2</sub> = 3.0 Hz, 1H), 10.36 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 20.86, 120.42, 124.98, 128.18, 128.49, 128.75, 129.41, 129.91, 130.00, 132.90, 133.88, 135.34, 135.65, 137.98, 146.70, 153.24, 162.05, 198.20; IR (KBr, cm<sup>-1</sup>): 3252, 1680, 1593, 1575, 1539, 1514, 1406, 980, 825; Anal. Calcd for C<sub>25</sub>H<sub>21</sub>NO<sub>2</sub>: C, 81.72; H, 5.76; N, 3.81. Found: C, 81.92; H, 5.57; N, 3.62.



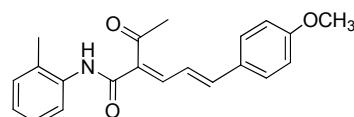
**2-Benzoyl-N,5-diphenylpenta-2,4-dienamide (1k)**

Yellow solid: mp 134-135 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  6.43-6.52 (dd,  $J_1=12.0$  Hz,  $J_2=3.0$  Hz, 1H), 7.04 (d,  $J = 9.3$  Hz, 1H), 7.10-7.15 (m, 3H), 7.35 (t,  $J=7.5$  Hz, 3H), 7.53 (t,  $J = 7.5$  Hz, 2H), 7.63-7.67 (m, 2H), 7.97 (d,  $J = 7.2$  Hz, 2H), 8.06 (d,  $J = 1.5$  Hz, 1H), 9.48 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  120.20, 123.84, 124.38, 127.56, 128.81, 128.97, 129.06, 129.74, 129.90, 131.21, 134.19, 135.52, 137.93, 138.61, 143.81, 146.21, 161.88, 198.17; IR (KBr,  $\text{cm}^{-1}$ ): 3292, 2923, 1672, 1629, 1603, 1546, 1499, 974, 748, 686; Anal. Calcd for  $\text{C}_{24}\text{H}_{19}\text{NO}_2$ : C, 81.56; H, 5.42; N, 3.96. Found: C, 81.83; H, 5.28; N, 4.05.



### 3-Oxo-N-phenyl-2-(3-phenylallylidene)hexanamide (1l)

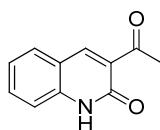
Yellow oil:  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  0.97 (t,  $J = 9.0$  Hz, 3H), 1.65-1.73 (m, 2H), 2.75-2.80 (m, 2H), 7.04-7.13 (m, 2H), 7.30-7.36 (m, 5H), 7.50-7.53 (m, 3H), 7.68 (d,  $J = 9.0$  Hz, 2H), 8.08-8.17 (dd,  $J_1=12.0$  Hz,  $J_2=6.0$  Hz, 1H), 10.19 (s, 1H);  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ ):  $\delta$  13.63, 17.97, 41.02, 46.33, 120.34, 124.23, 125.08, 128.10, 128.73, 128.82, 129.98, 135.54, 137.89, 146.69, 149.33, 162.91, 202.14; IR (KBr,  $\text{cm}^{-1}$ ): 3288, 1670, 1597, 1578, 1537, 1497, 974, 750, 690; Anal. Calcd for  $\text{C}_{21}\text{H}_{21}\text{NO}_2$ : C, 78.97; H, 6.63; N, 4.39. Found: C, 79.45; H, 6.39; N, 4.52.



### 2-Acetyl-5-(4-methoxyphenyl)-N-o-tolylpenta-2,4-dienamide (1m)

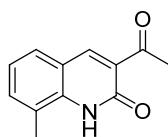
Orange solid: m.p 133-135 °C;  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.38 (s, 3H), 2.56 (s, 3H), 3.85 (s, 3H), 6.91 (d,  $J = 9.0$  Hz, 2H), 7.04-7.10 (m, 2H), 7.15-7.24 (m, 1H), 7.58 (d,  $J = 9.0$  Hz, 2H), 7.67 (d,  $J = 12.0$  Hz, 1H), 8.14 (d,  $J = 6.0$  Hz, 1H), 8.32-8.40 (dd,  $J_1=9.0$  Hz,  $J_2=6.0$  Hz, 1H), 10.40 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  18.12, 27.56, 55.33, 114.35, 122.24, 123.64, 124.42, 126.46, 128.53, 128.63, 128.73, 129.74, 130.20, 130.32, 136.42, 148.01, 153.56, 161.53, 162.70, 200.62; IR (KBr,  $\text{cm}^{-1}$ ): 3447, 1666, 1609, 1585, 1379, 1263, 822, 752; Anal. Calcd for  $\text{C}_{21}\text{H}_{21}\text{NO}_3$ : C, 75.20; H, 6.31; N, 4.18. Found: C, 75.71; H, 6.25; N, 4.33.

## II. Analytical data of products 2b-k



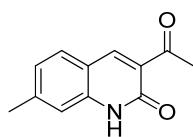
### 3-Acetylquinolin-2(1H)-one (2b)

White solid: mp 236-238 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.62 (s, 3H), 7.23 (t,  $J$  = 7.5 Hz, 1H), 7.35 (d,  $J$  = 8.1 Hz, 1H), 7.62 (t,  $J$  = 7.5 Hz, 1H), 7.87 (d,  $J$  = 8.1 Hz, 1H), 8.46 (s, 1H), 12.12 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  30.60, 114.97, 118.04, 122.28, 129.28, 130.07, 132.82, 140.44, 142.99, 160.42, 197.30; IR (KBr,  $\text{cm}^{-1}$ ): 3421, 3003, 1684, 1661, 1601, 1551, 1489, 1352, 758; Anal. Calcd for  $\text{C}_{11}\text{H}_9\text{NO}_2$ : C, 70.58; H, 4.85; N, 7.48. Found: C, 71.03; H, 4.79; N, 7.56.



### 3-Acetyl-8-methylquinolin-2(1H)-one (2c)

White solid: mp 218-219 °C;  $^1\text{H}$  NMR (400 MHz, DMSO):  $\delta$  2.45 (s, 3H), 2.62 (s, 3H), 7.14 (t,  $J$  = 7.6 Hz, 1H), 7.46 (d,  $J$  = 7.6 Hz, 1H), 7.71 (d,  $J$  = 7.6 Hz, 1H), 8.43 (s, 1H), 11.21 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  17.11, 30.57, 118.15, 122.13, 123.46, 128.21, 129.02, 133.98, 138.87, 143.54, 160.84, 197.33; IR (KBr,  $\text{cm}^{-1}$ ): 3171, 2924, 2854, 1654, 1475, 1380, 765, 656; Anal. Calcd for  $\text{C}_{12}\text{H}_{11}\text{NO}_2$ : C, 71.63; H, 5.51; N, 6.96. Found: C, 71.34; H, 5.61; N, 6.72.



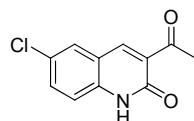
### 3-Acetyl-7-methylquinolin-2(1H)-one (2d)

White solid: mp 159-162 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.40 (s, 3H), 2.61 (s, 3H), 7.07 (d,  $J$  = 8.1 Hz, 1H), 7.13 (s, 1H), 7.76 (d,  $J$  = 8.1 Hz, 1H), 8.43 (s, 1H), 12.06 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  21.65, 30.61, 114.56, 116.00, 123.95, 128.08, 129.95, 140.69, 142.99, 143.65, 160.62, 197.16; IR (KBr,  $\text{cm}^{-1}$ ): 3446, 2925, 1685, 1662, 1597, 1560, 1500, 804; Anal. Calcd for  $\text{C}_{12}\text{H}_{11}\text{NO}_2$ : C, 71.63; H, 5.51; N, 6.96. Found: C, 71.94; H, 5.12; N, 7.32.



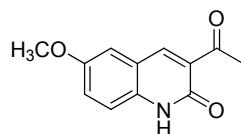
**3-Acetyl-6,8-dimethylquinolin-2(1H)-one (2e)**

White solid: mp 239-240 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.31 (s, 3H), 2.42 (s, 3H), 2.62 (s, 3H), 7.32 (s, 1H), 7.50 (s, 1H), 8.36 (s, 1H), 11.20 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  15.68, 19.21, 29.24, 117.74, 122.63, 126.51, 128.96, 130.51, 134.55, 136.38, 141.88, 159.83, 196.40; IR (KBr,  $\text{cm}^{-1}$ ): 3157, 2923, 2854, 1685, 1649, 1569, 1468, 774, 596; Anal. Calcd for  $\text{C}_{13}\text{H}_{13}\text{NO}_2$ : C, 72.54; H, 6.09; N, 6.51. Found: C, 72.39; H, 6.26; N, 6.36.



**3-Acetyl-6-chloroquinolin-2(1H)-one (2f)**

White solid: mp 121-122 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.61 (s, 3H), 7.36 (d,  $J$  = 8.7 Hz, 1H), 7.64-7.68 (dd,  $J_1$  = 8.7 Hz,  $J_2$  = 2.4 Hz, 1H), 8.02 (d,  $J$  = 2.1 Hz, 1H), 8.43 (s, 1H), 12.24 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  30.15, 52.25, 116.94, 120.59, 126.92, 128.62, 132.58, 137.79, 141.81, 165.16, 202.62; IR (KBr,  $\text{cm}^{-1}$ ): 3445, 1683, 1660, 1500, 1351, 1213, 775, 603; Anal. Calcd for  $\text{C}_{11}\text{H}_8\text{ClNO}_2$ : C, 59.61; H, 3.64; N, 6.32. Found: C, 59.93; H, 3.85; N, 6.51.



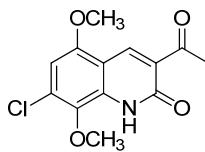
**3-Acetyl-6-methoxyquinolin-2(1H)-one (2g)**

White solid: mp 233-234 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.62 (s, 3H), 3.83 (s, 3H), 7.26 (d,  $J$  = 8.8 Hz, 1H), 7.33 (d,  $J$  = 8.0 Hz, 2H), 8.30 (s, 1H), 11.54-11.55 (m, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  30.60, 55.48, 110.52, 116.34, 118.59, 122.75, 129.53, 135.22, 142.48, 154.33, 160.01, 197.41; IR (KBr,  $\text{cm}^{-1}$ ): 3138, 2924, 2854, 1683, 1654, 1505, 1459, 598; Anal. Calcd for  $\text{C}_{12}\text{H}_{11}\text{NO}_3$ : C, 66.35; H, 5.10; N, 6.45. Found: C, 66.63; H, 5.21; N, 6.29.



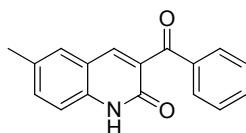
**3-Acetyl-8-methoxyquinolin-2(1H)-one (2h)**

White solid: mp 171-172 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.45 (s, 3H), 2.63 (s, 3H), 7.15 (t,  $J$  = 7.5 Hz, 1H), 7.47 (d,  $J$  = 7.2 Hz, 1H), 7.72 (d,  $J$  = 7.5 Hz, 1H), 8.44 (s, 1H), 11.23 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  30.56, 56.12, 113.04, 118.42, 121.44, 122.30, 129.99, 130.50, 142.90, 145.43, 160.02, 197.48; IR (KBr,  $\text{cm}^{-1}$ ): 3184, 2924, 2853, 1683, 1654, 1521, 1484, 728; Anal. Calcd for  $\text{C}_{12}\text{H}_{11}\text{NO}_3$ : C, 66.35; H, 5.10; N, 6.45. Found: C, 66.15; H, 5.19; N, 6.51.



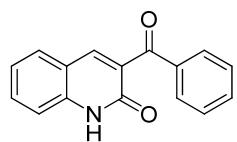
**3-Acetyl-7-chloro-5,8-dimethoxyquinolin-2(1H)-one (2i)**

White solid: mp 123-125 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.62 (s, 3H), 3.86 (s, 3H), 3.91 (s, 3H), 7.34 (s, 1H), 8.35 (s, 1H), 11.59 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  30.55, 56.78, 62.21, 113.36, 114.41, 118.07, 130.45, 130.67, 136.43, 142.47, 146.28, 159.63, 197.35; IR (KBr,  $\text{cm}^{-1}$ ): 3446, 1682, 1654, 1602, 1489, 1234, 764, 752; Anal. Calcd for  $\text{C}_{13}\text{H}_{12}\text{ClNO}_4$ : C, 55.43; H, 4.29; N, 4.97. Found: C, 56.05; H, 4.18; N, 5.11.



**3-Benzoyl-6-methylquinolin-2(1H)-one (2j)**

White solid: mp 279-281 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  2.36 (s, 3H), 7.29 (d,  $J$  = 6.0 Hz, 1H), 7.46 (d,  $J$  = 9.0 Hz, 1H), 7.52 (t,  $J$  = 6.0 Hz, 2H), 7.58 (s, 1H), 7.66 (t,  $J$  = 6.0 Hz, 1H), 7.82 (d,  $J$  = 6.0 Hz, 2H), 8.13 (s, 1H), 12.07 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  20.33, 115.16, 118.26, 128.33, 128.59, 129.20, 131.34, 131.84, 133.15, 133.43, 136.66, 137.64, 140.32, 159.70, 194.16; IR (KBr,  $\text{cm}^{-1}$ ): 3447, 3028, 1654, 1600, 1566, 1477, 806, 755; Anal. Calcd for  $\text{C}_{17}\text{H}_{13}\text{NO}_2$ : C, 77.55; H, 4.98; N, 5.32.. Found: C, 77.71; H, 4.79; N, 5.51.

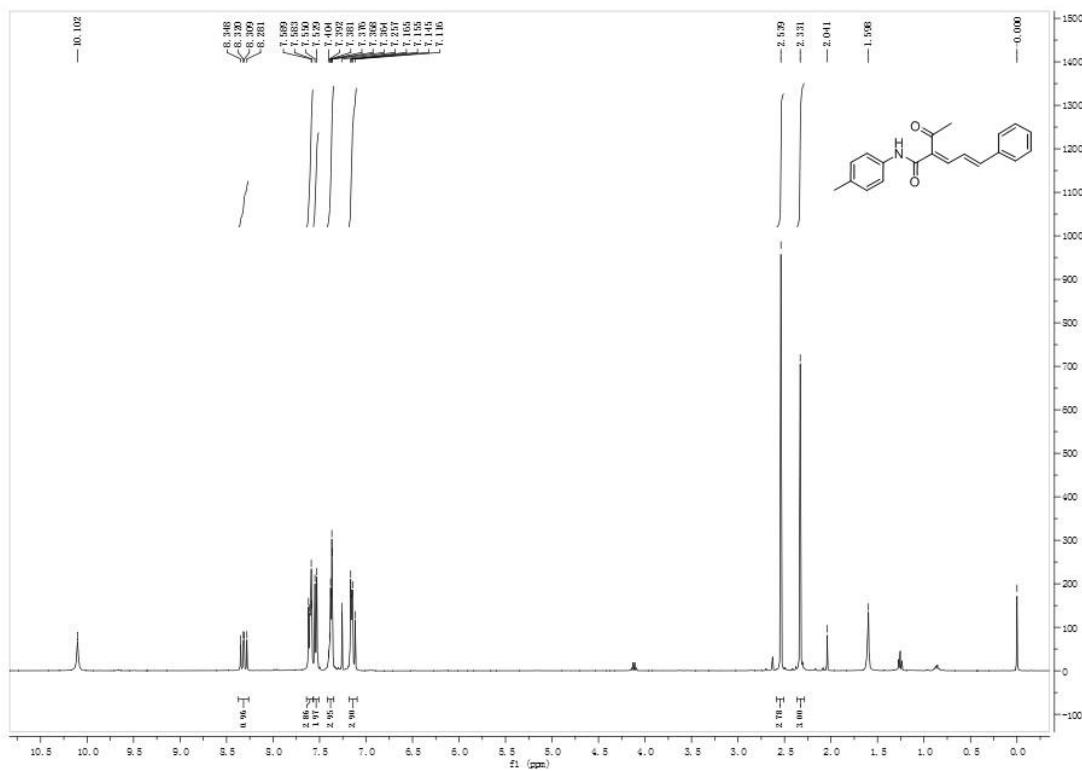


**3-Benzoylquinolin-2(1H)-one (2k)**

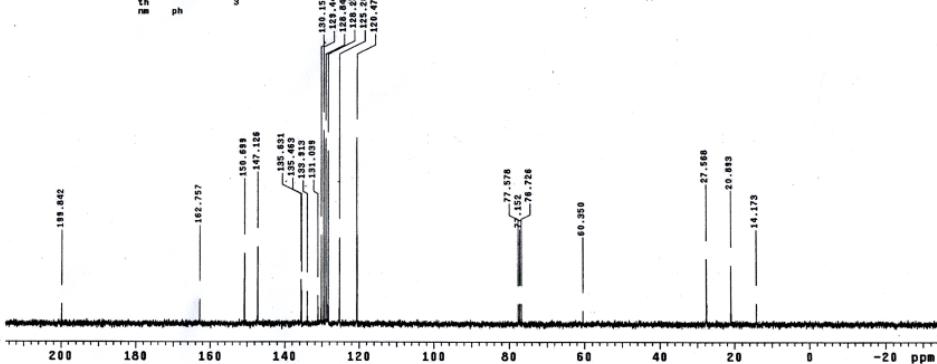
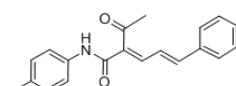
White solid: mp 246-247 °C;  $^1\text{H}$  NMR (300 MHz, DMSO):  $\delta$  7.25 (t,  $J = 7.5$  Hz, 1H), 7.38 (d,  $J = 8.1$  Hz, 1H), 7.55 (t,  $J = 7.5$  Hz, 2H), 7.59-7.69 (m, 2H), 7.78-7.85 (m, 3H), 8.21 (s, 1H), 12.12 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz, DMSO):  $\delta$  115.22, 118.29, 122.27, 128.58, 129.00, 129.20, 131.82, 133.43, 136.61, 139.59, 140.56, 159.78, 194.04; IR (KBr,  $\text{cm}^{-1}$ ): 3157, 2923, 2851, 1661, 1581, 1500, 754, 600; Anal. Calcd for  $\text{C}_{16}\text{H}_{11}\text{NO}_2$ : C, 77.10; H, 4.45; N, 5.62. Found: C, 77.65; H, 4.62; N, 5.71.

### III. Copies of NMR spectra for substrates 1

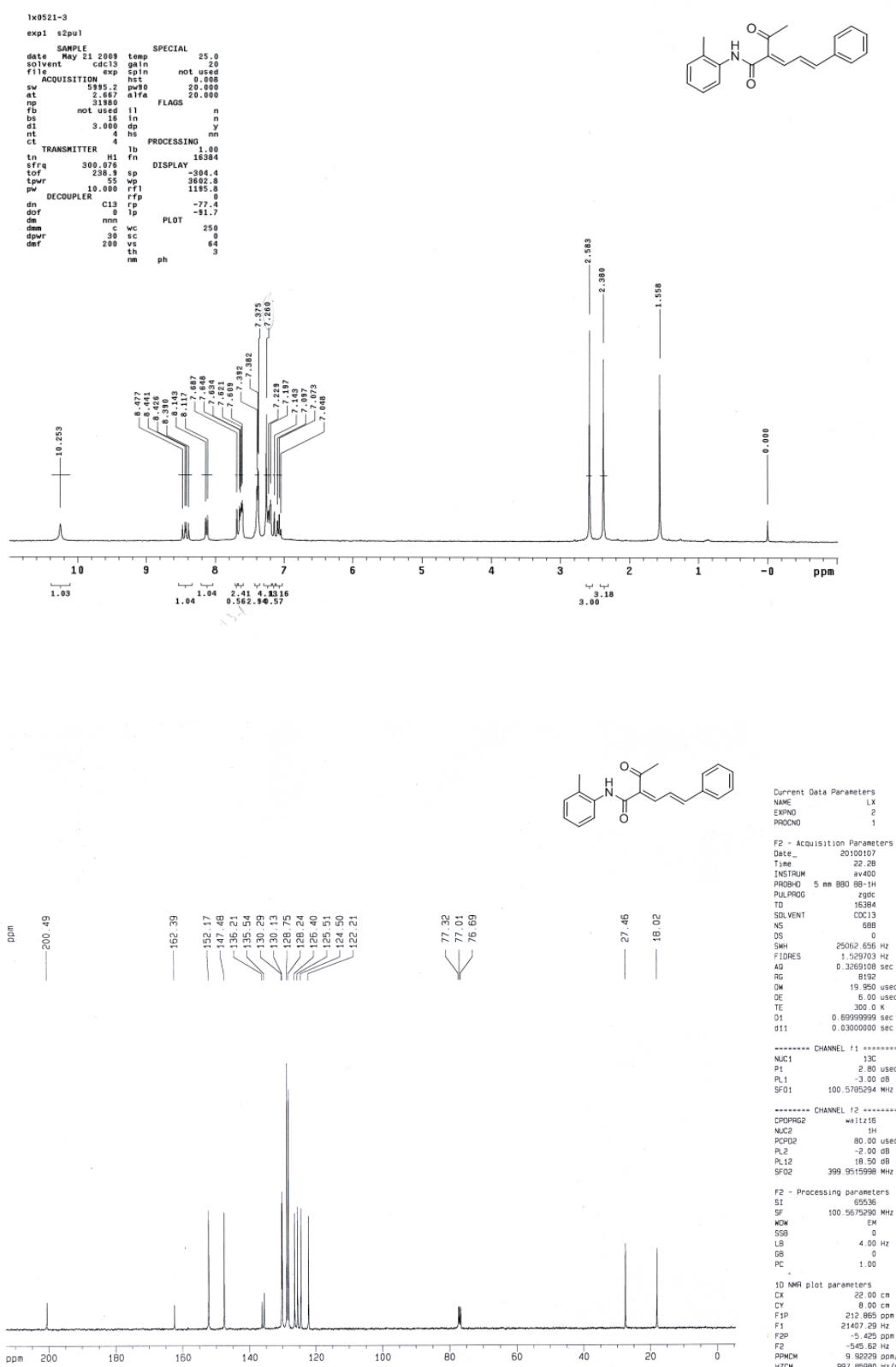
1a



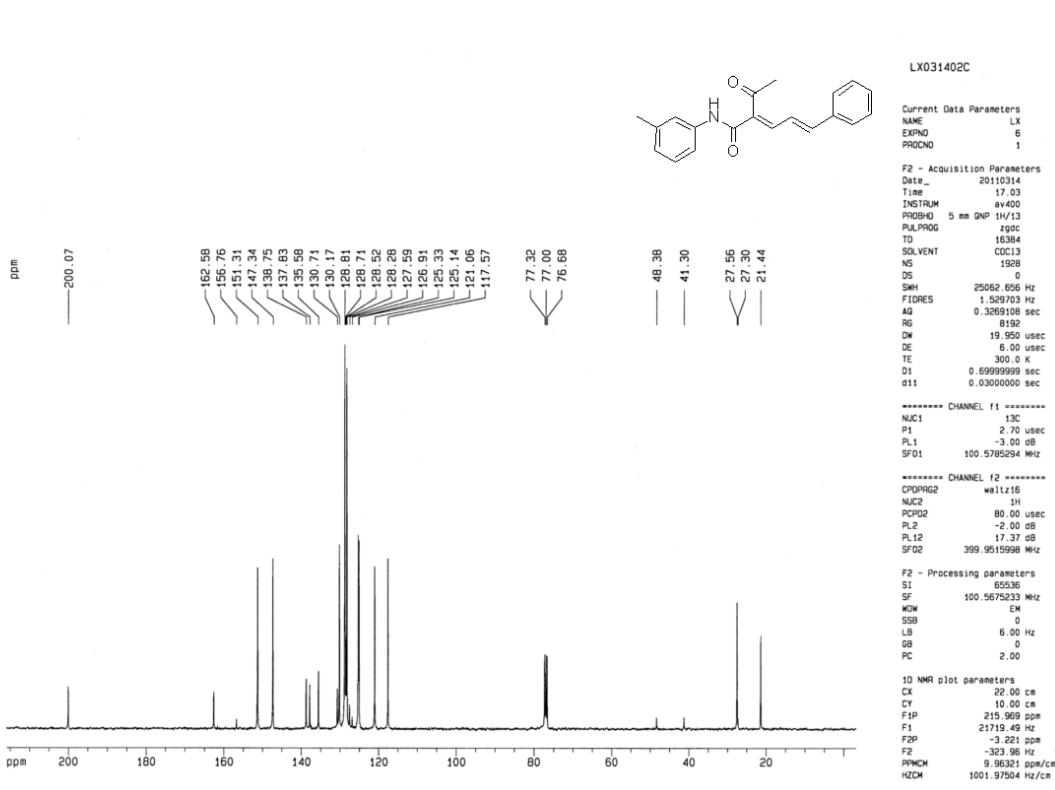
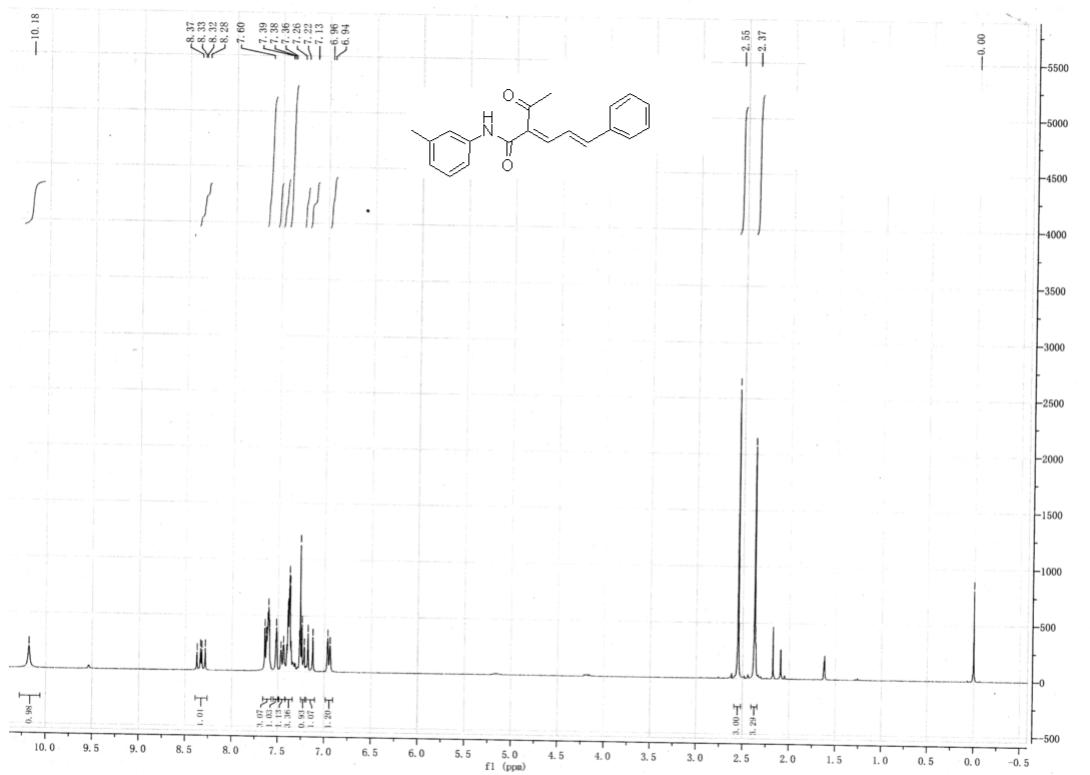
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ACQUISITION ex0 pres 14.000  
sw 18787.0 pw0 20.000  
at 0.700 417a  
pp 0.000 flags  
fb not used 11 n  
bs 1.000 dp n  
d1 1.000 dp y  
nt 100000 hs nm  
ct 100 PROCESSING 1.00  
tr TRANSMITTER 100 lb  
rt 32788  
srfq 75.430 DISPLAY  
t0f -748.7 sp -2588.1  
t0fr 0 sp 182.0  
pw 7.300 rfp 2581.9  
DECOUPLER H1 rfp 88.2  
dn 0 lp -258.0  
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dmw 40 ec 258  
dmr 17283 vs 58  
dtm 0 th 58  
nm ph



1c

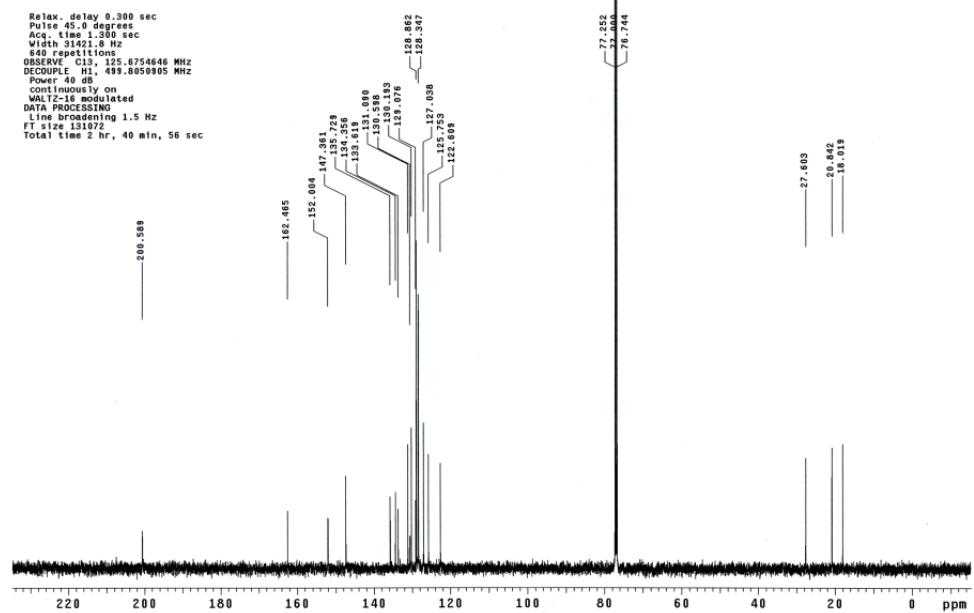
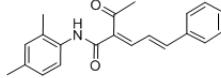
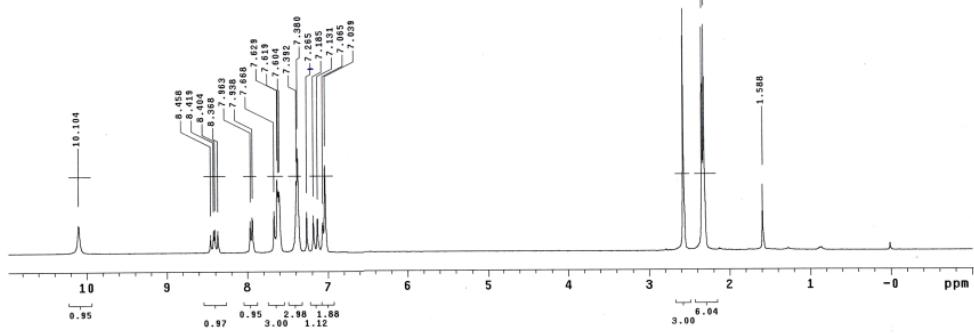
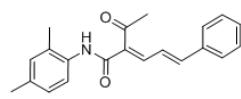


**1d**

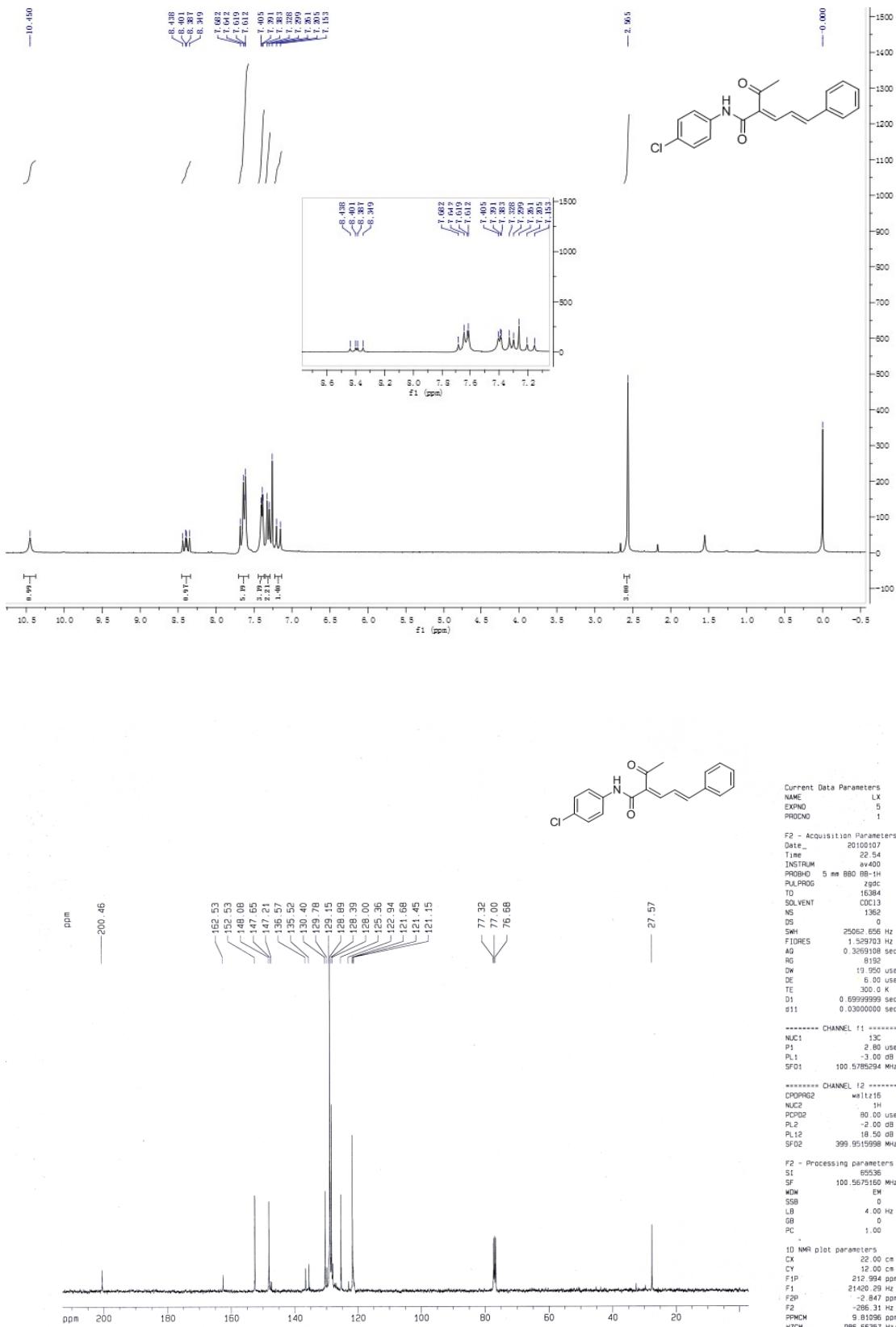


1e

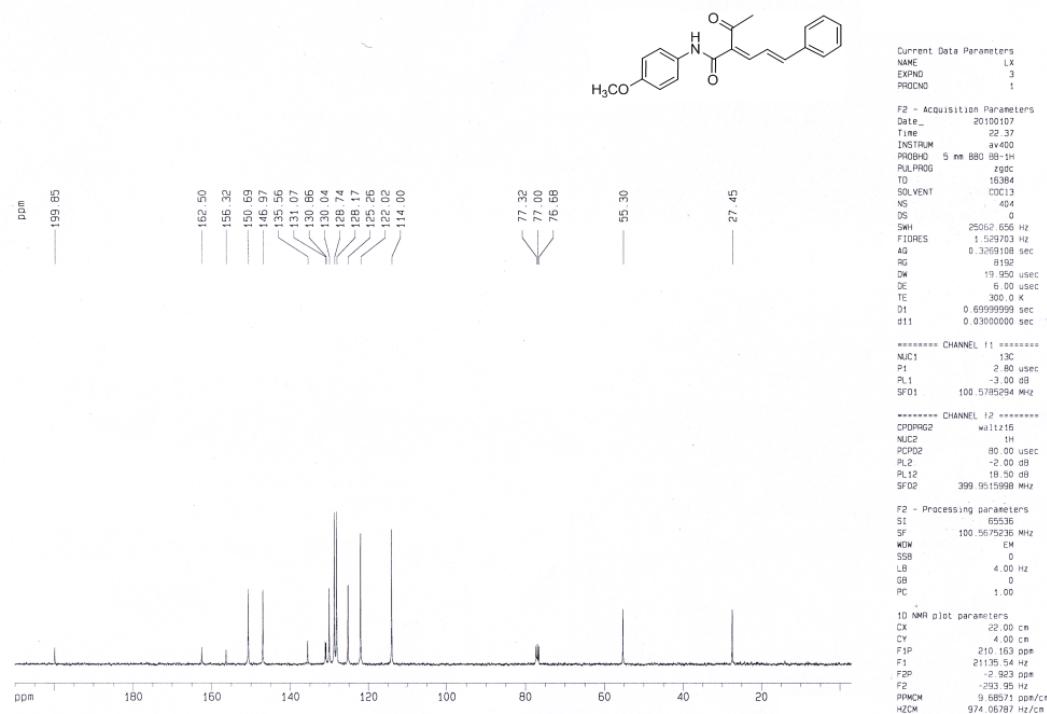
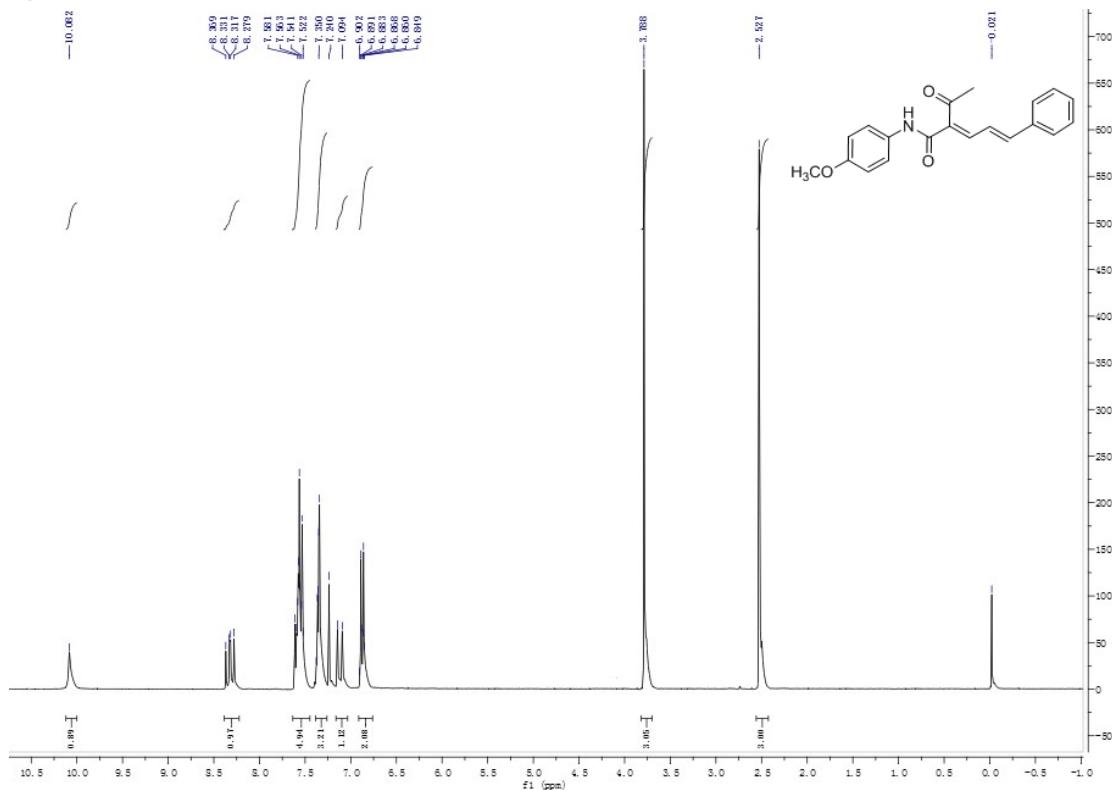
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at 2.00 a1ff 20.000
np 31980 FLAGS
fb not used i 1 n
bs 32 n
d1 3.000 dp y
nt 4 hs nn
ct 4 PROCESSING
TRANSMITTER H1 1.00
tr 16.104 fb 16.564
sfrq 300.076 DISPLAY
tof 258.9 sp -303.0
tpwr 5.0 w1 304.0
pewr 10.000 w1f1 1194.4
DECOUPLER r1f1 0
dm C13 p -75.0
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dmf 200 vs 63
t 3 h 3
m ph
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**1f**

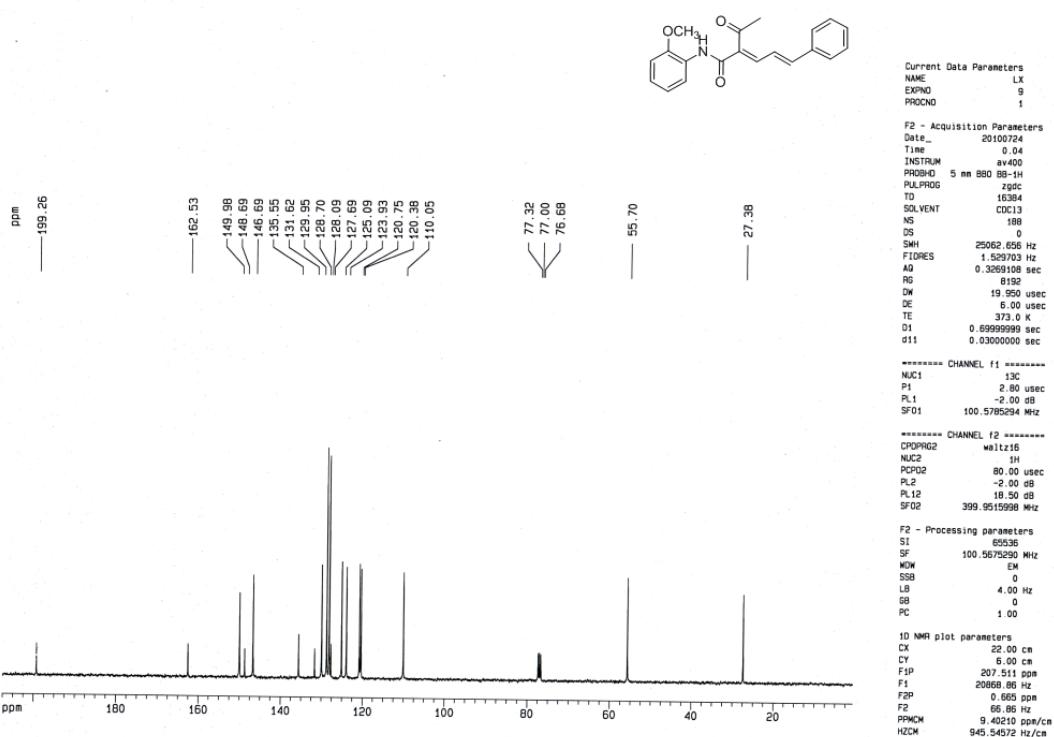
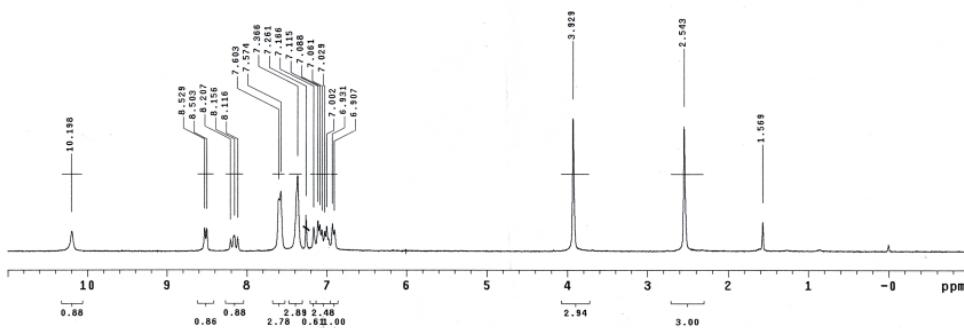
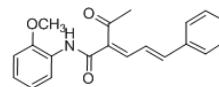


**1g**

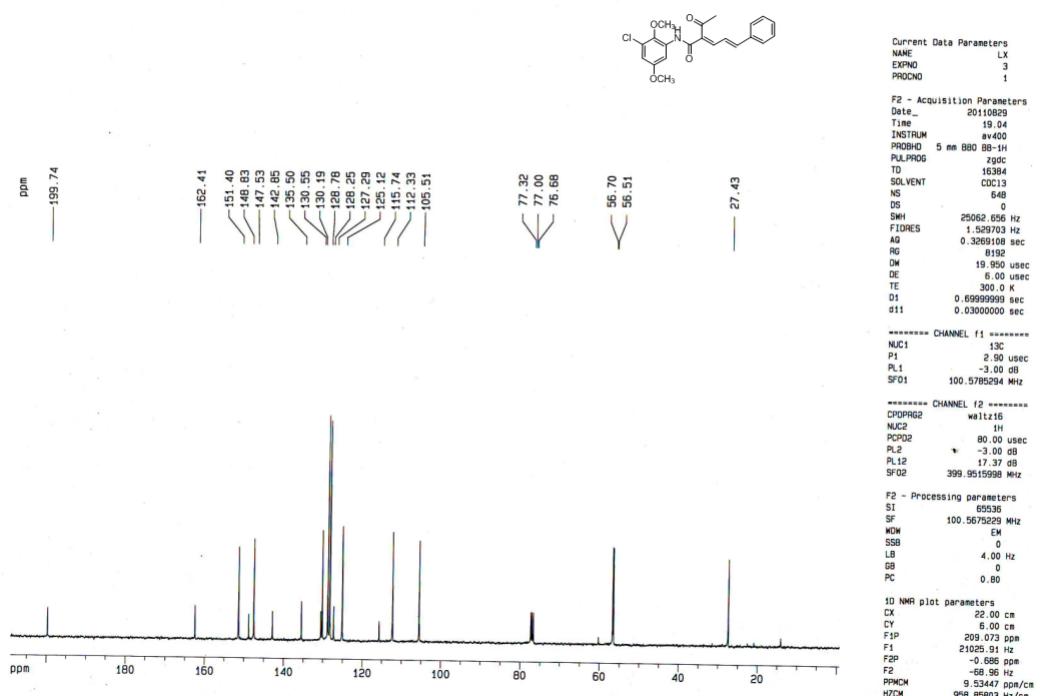
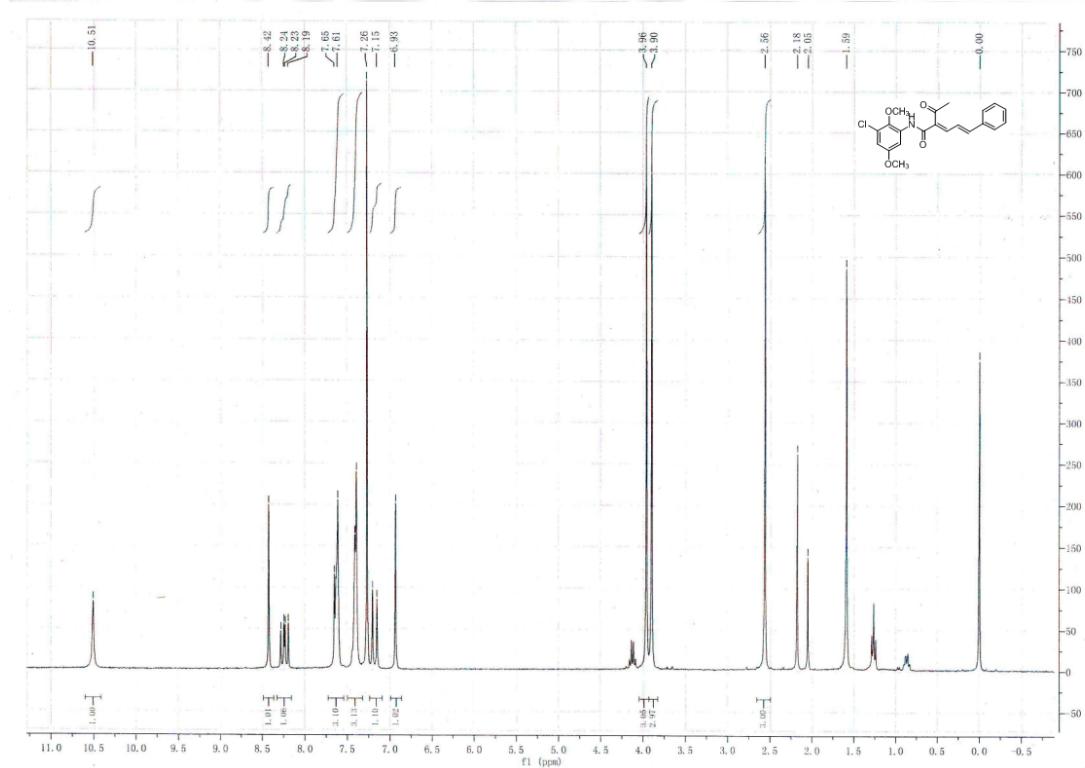


**1h**

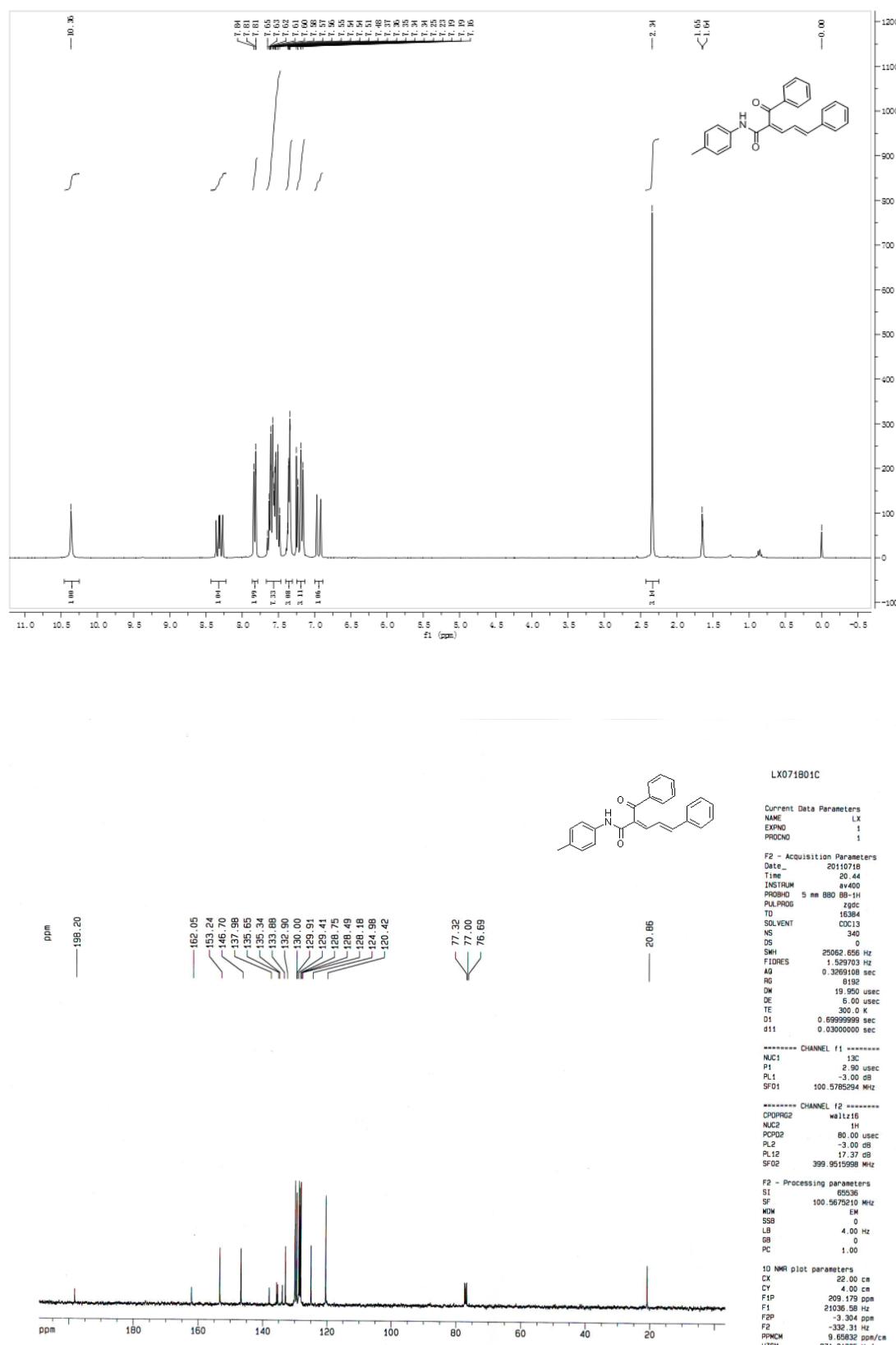
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x0422-4.fid a1fa  20.000
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at       2.064  in    n
np       32720   sp    y
fb      16      hs    nm
bs      16      fn    PROCESSING 16384
dt      3.000   12    DISPLAY
nt      12      12
ct      12      sp    -302.1
TRANSMITTER H1 rfp  1200.8
sfreq  300.076 rfp  0
totf   238.000 rfp  -75.0
tppr 54.000 ip   -89.7
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DECOUPLER C13 wc   250
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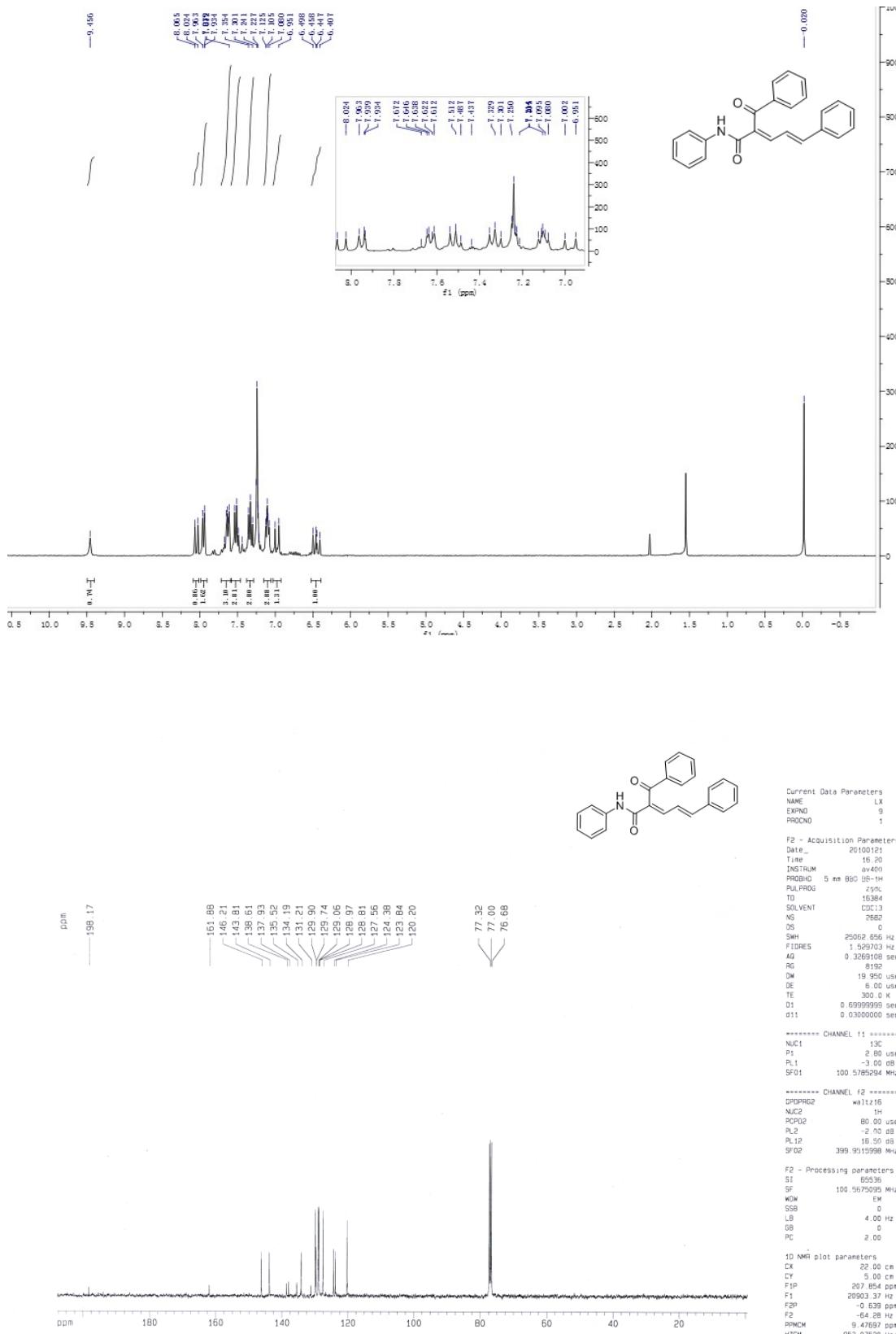
**1i**



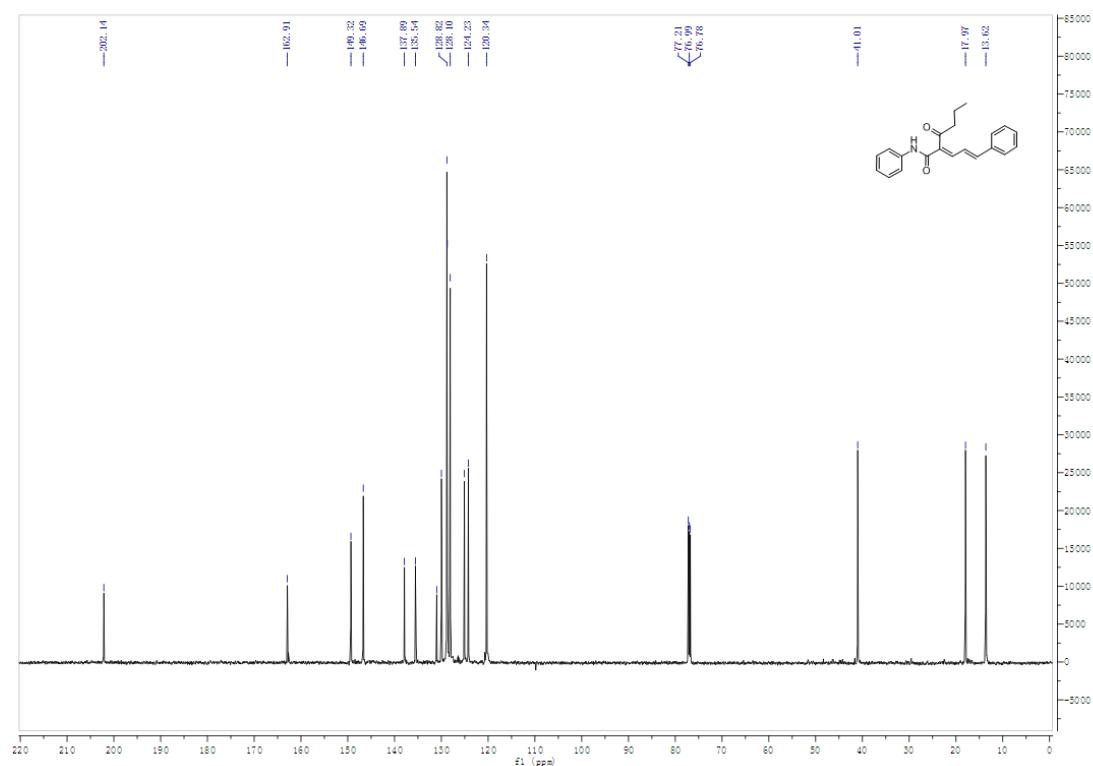
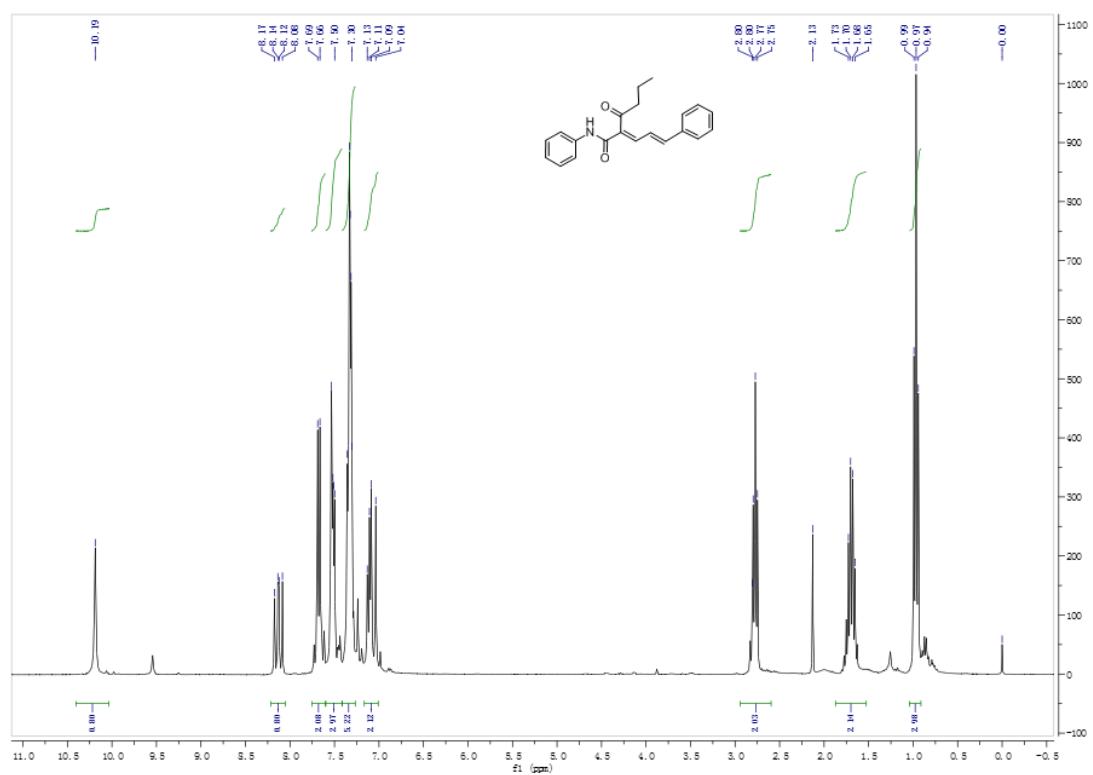
1j



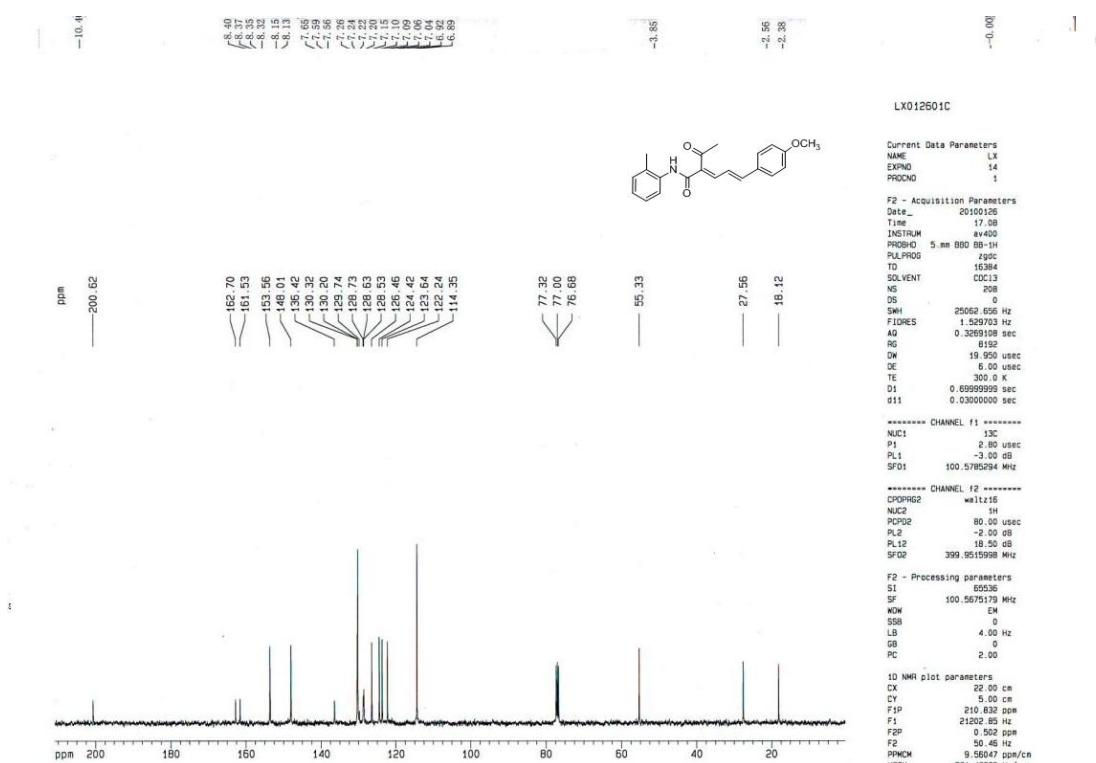
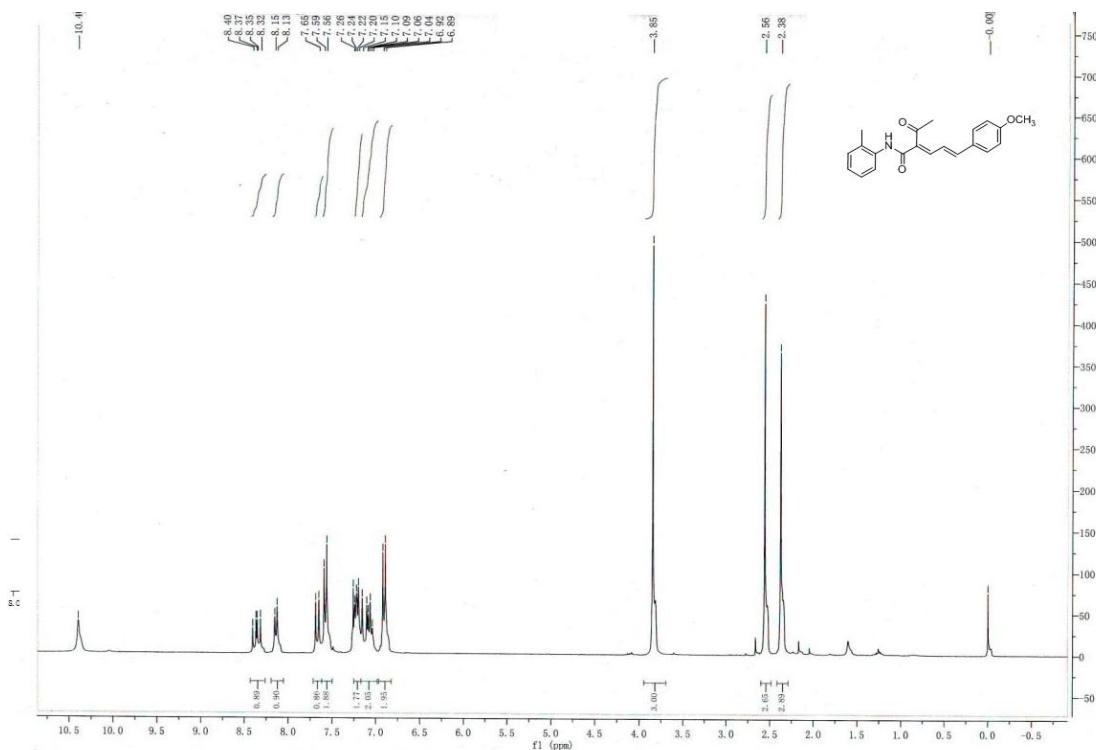
**1k**



11

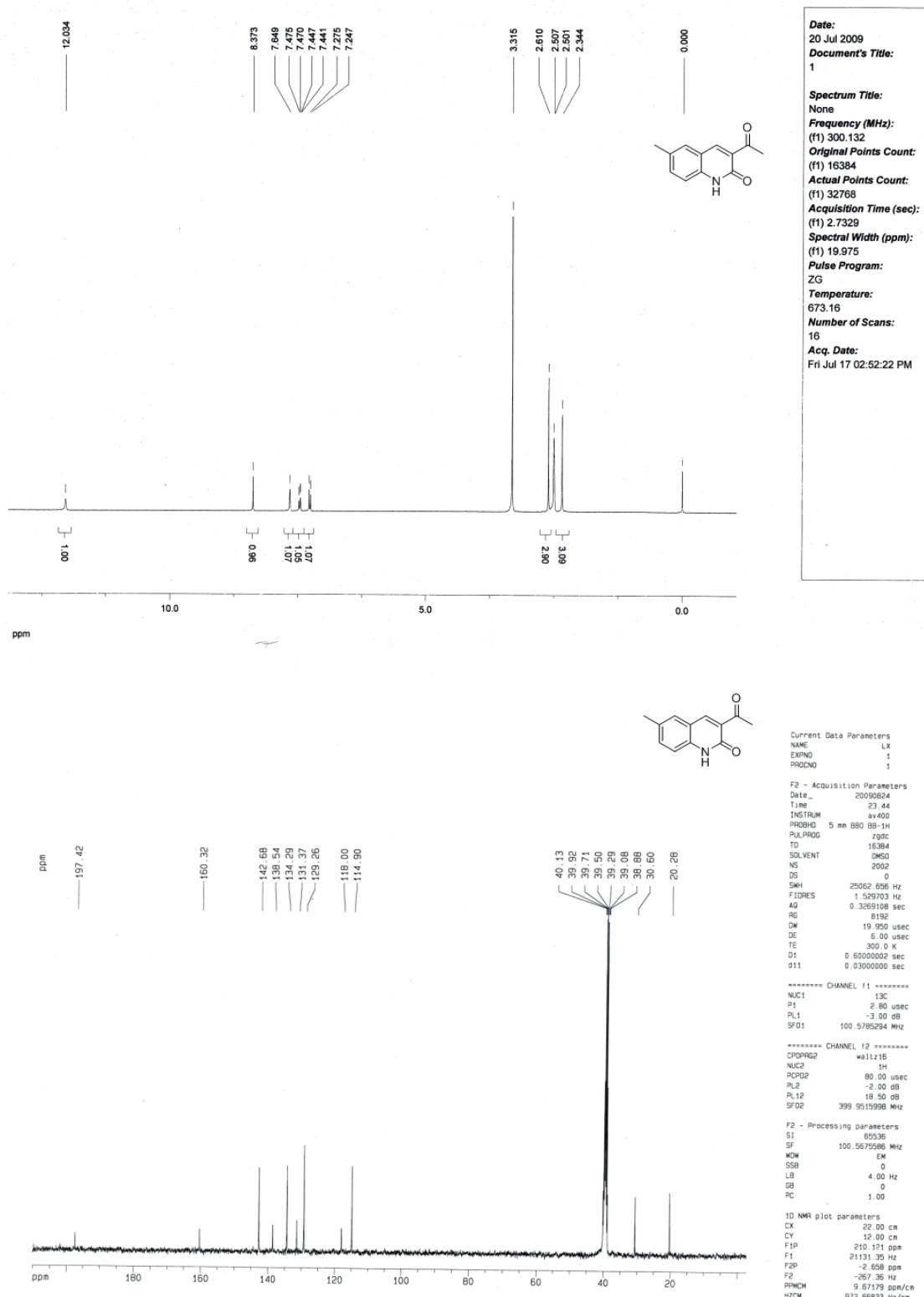


**1m**

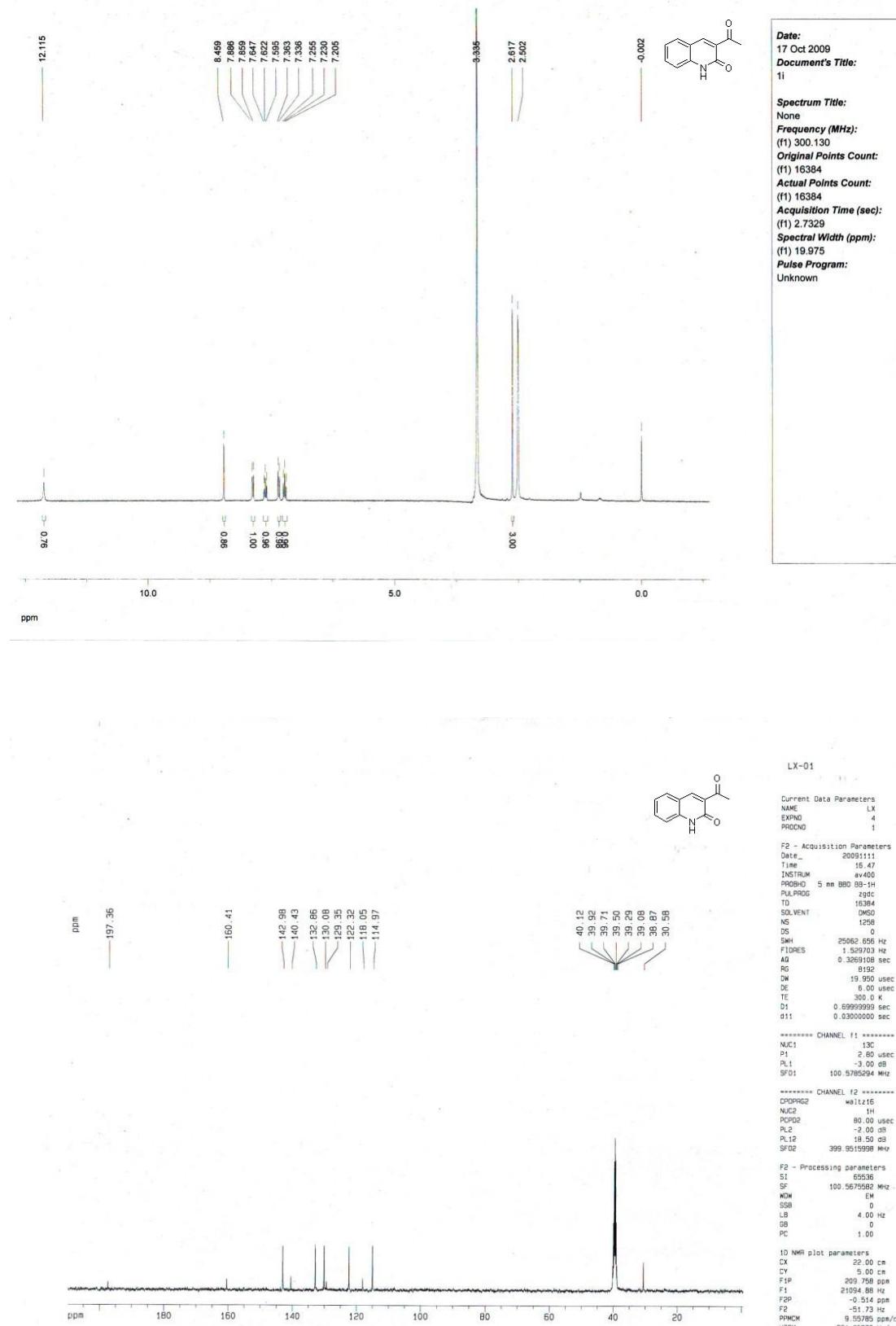


## IV. Copies of NMR spectra for products 2

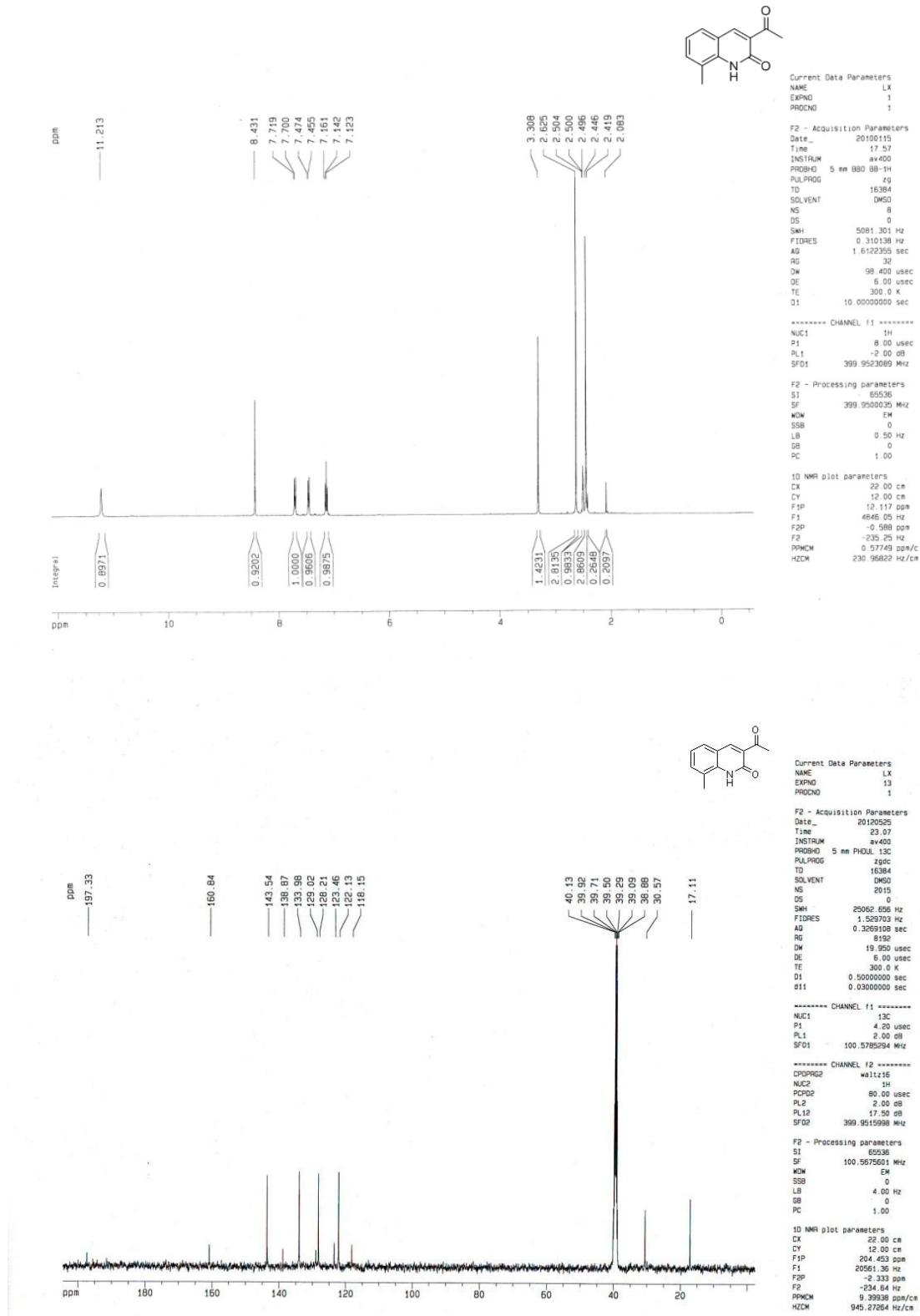
2a



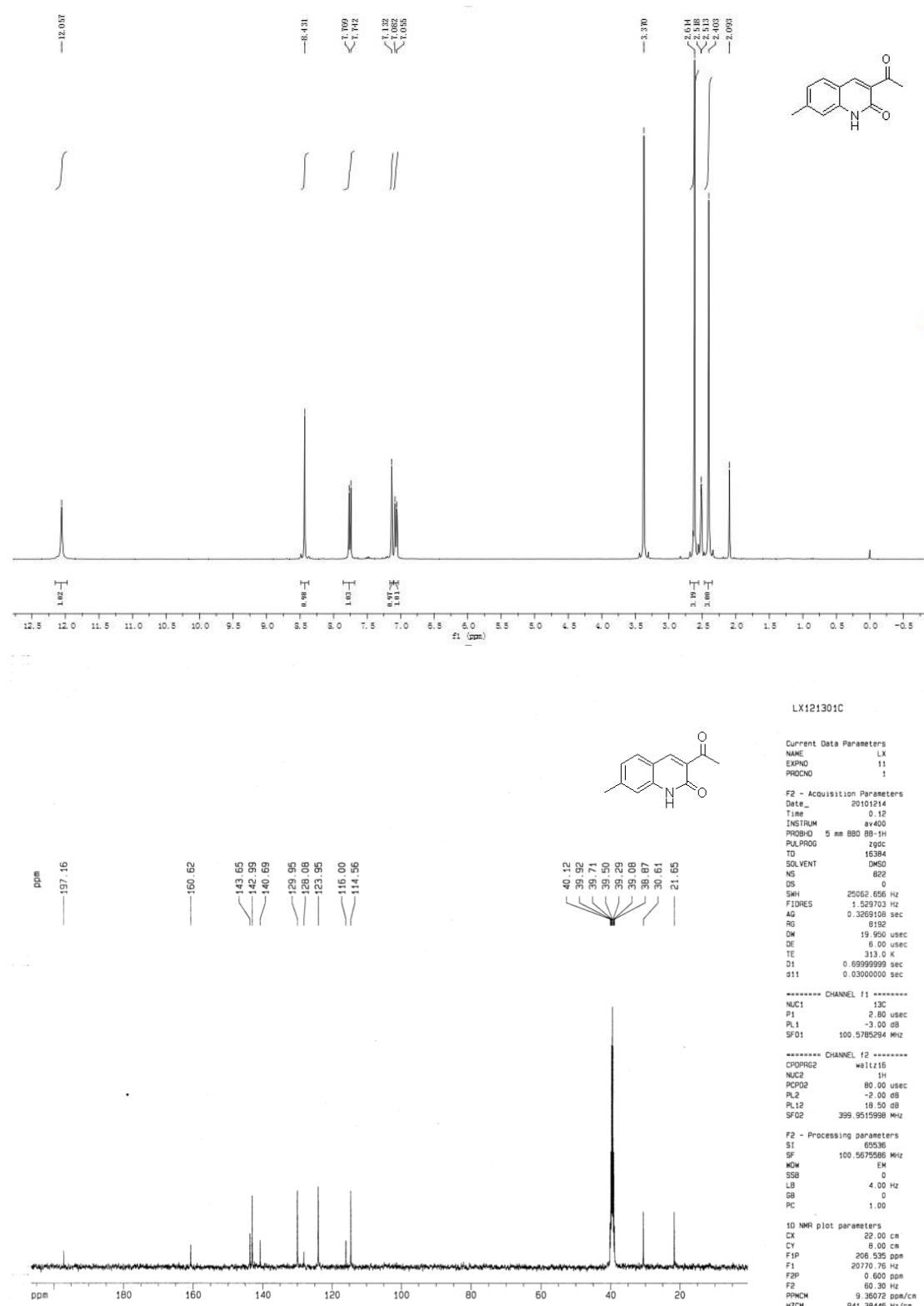
2b



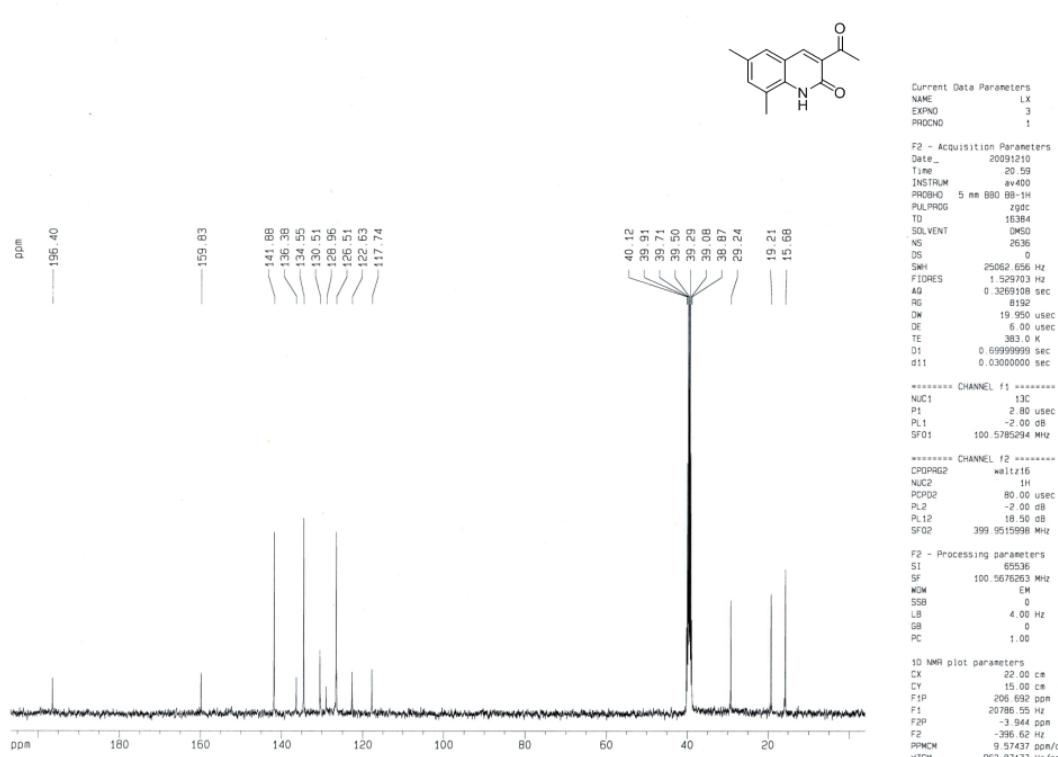
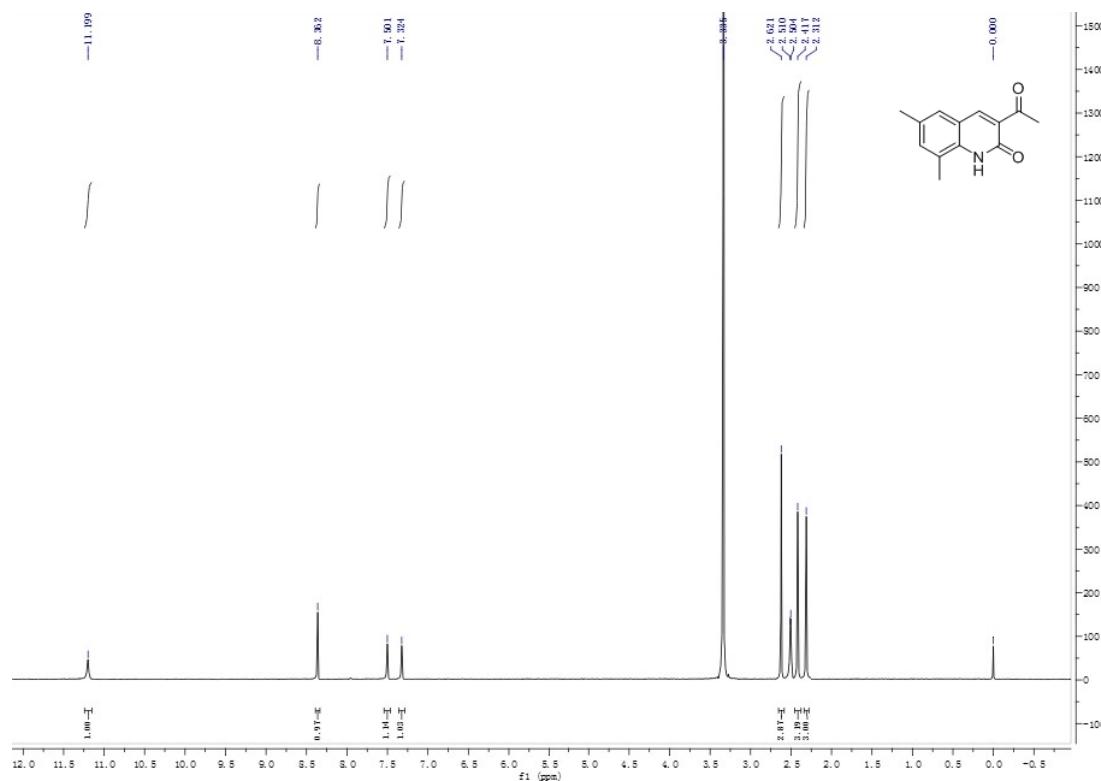
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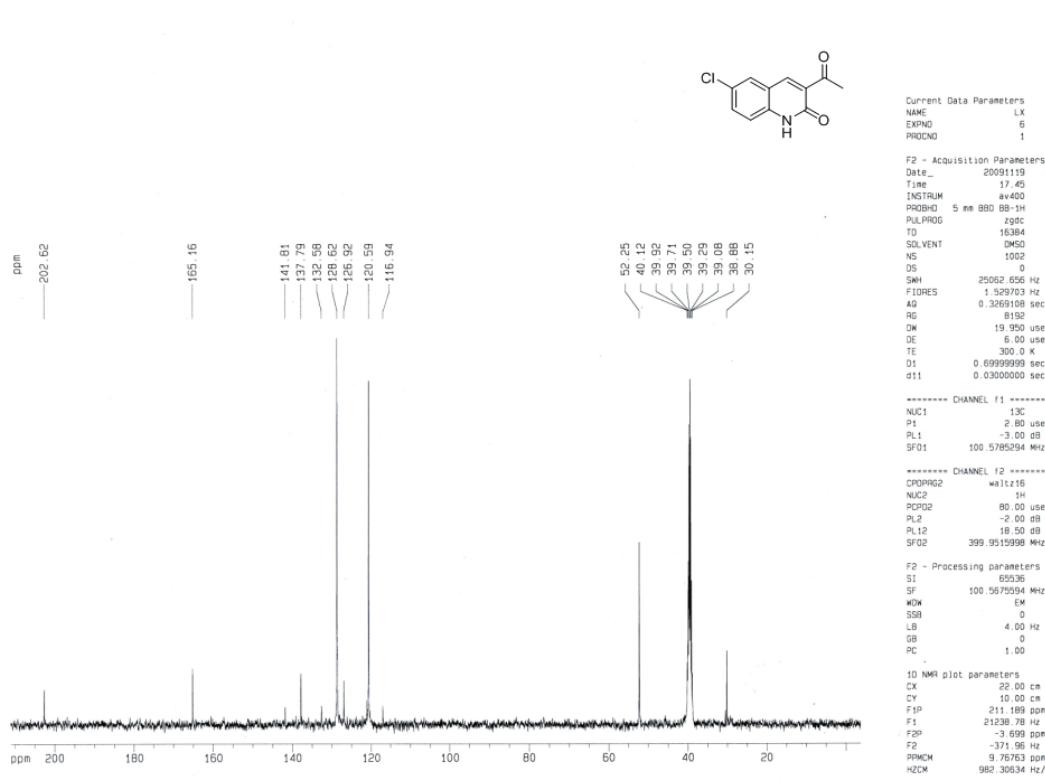
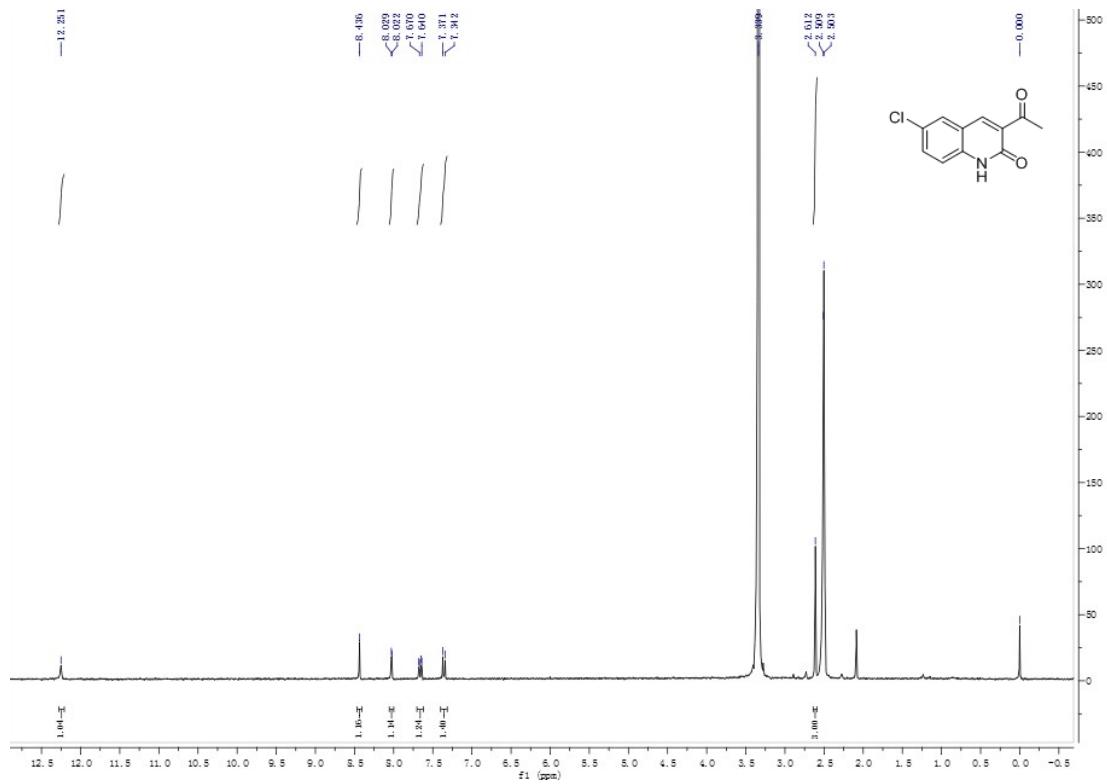
**2d**



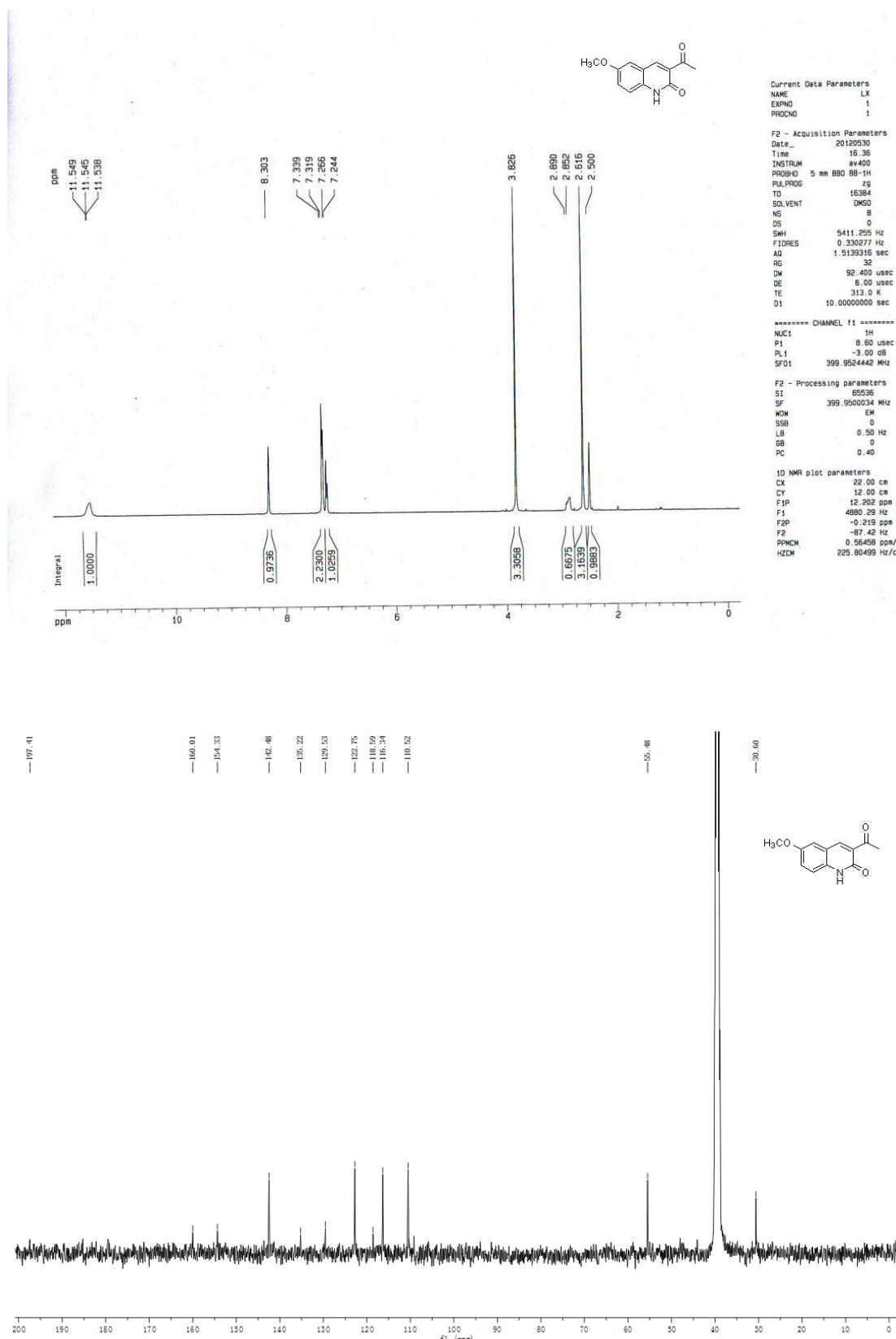
**2e**



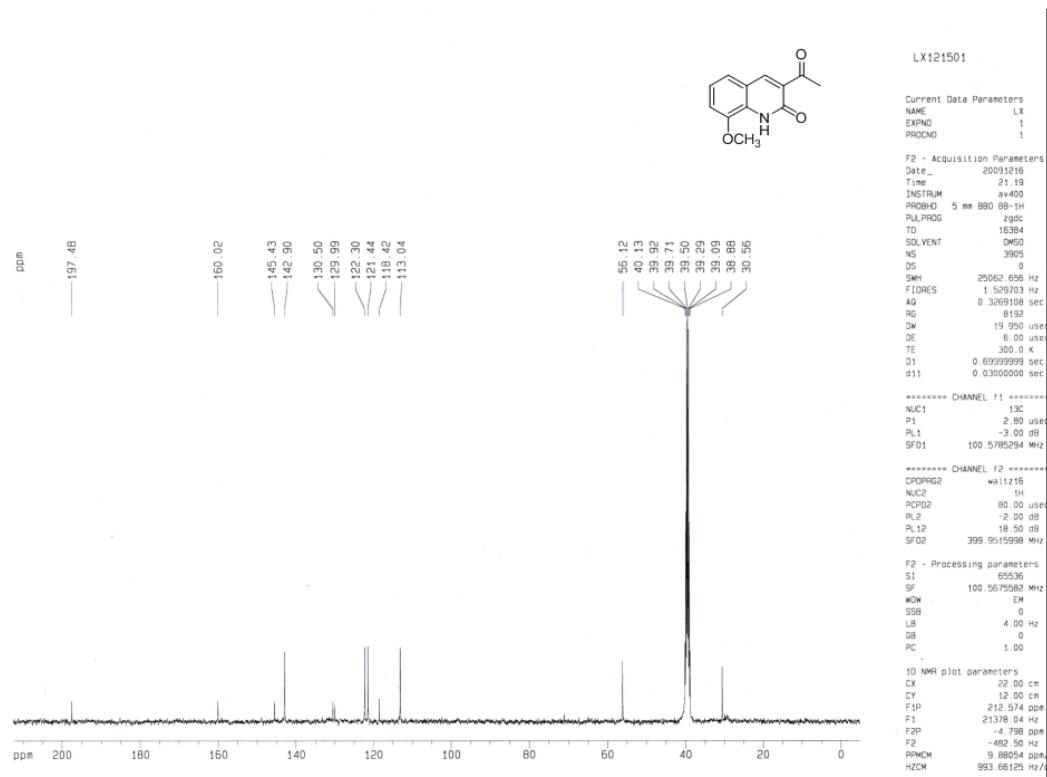
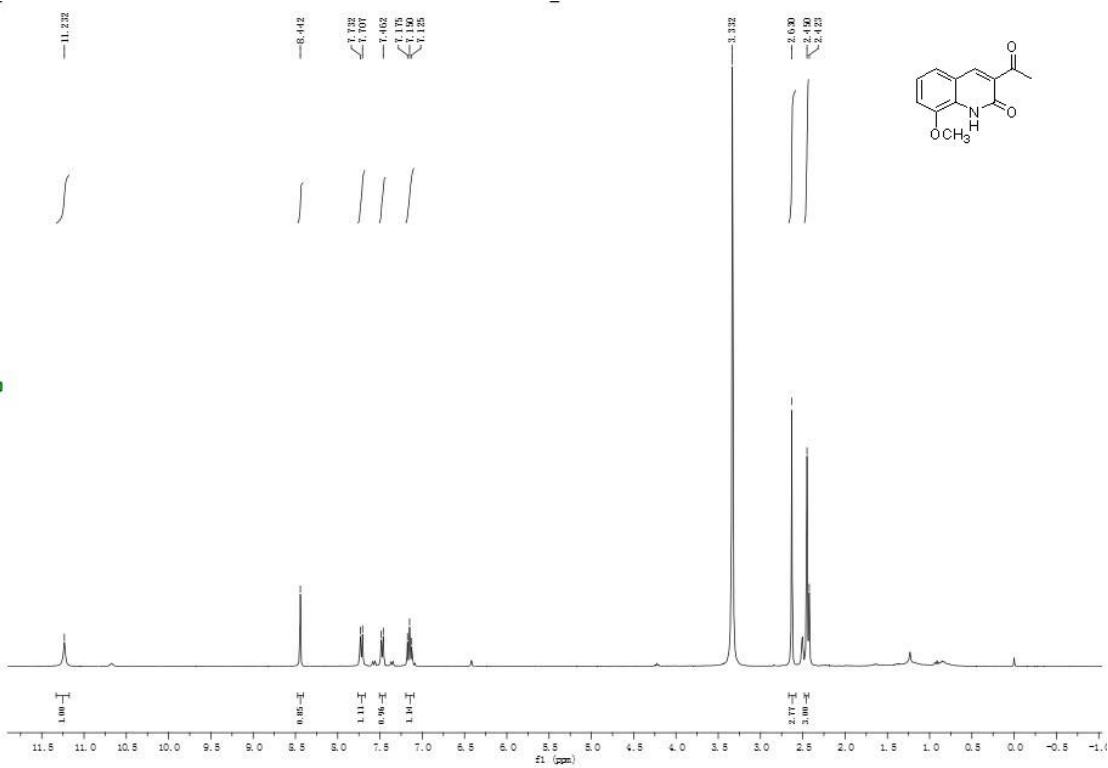
**2f**



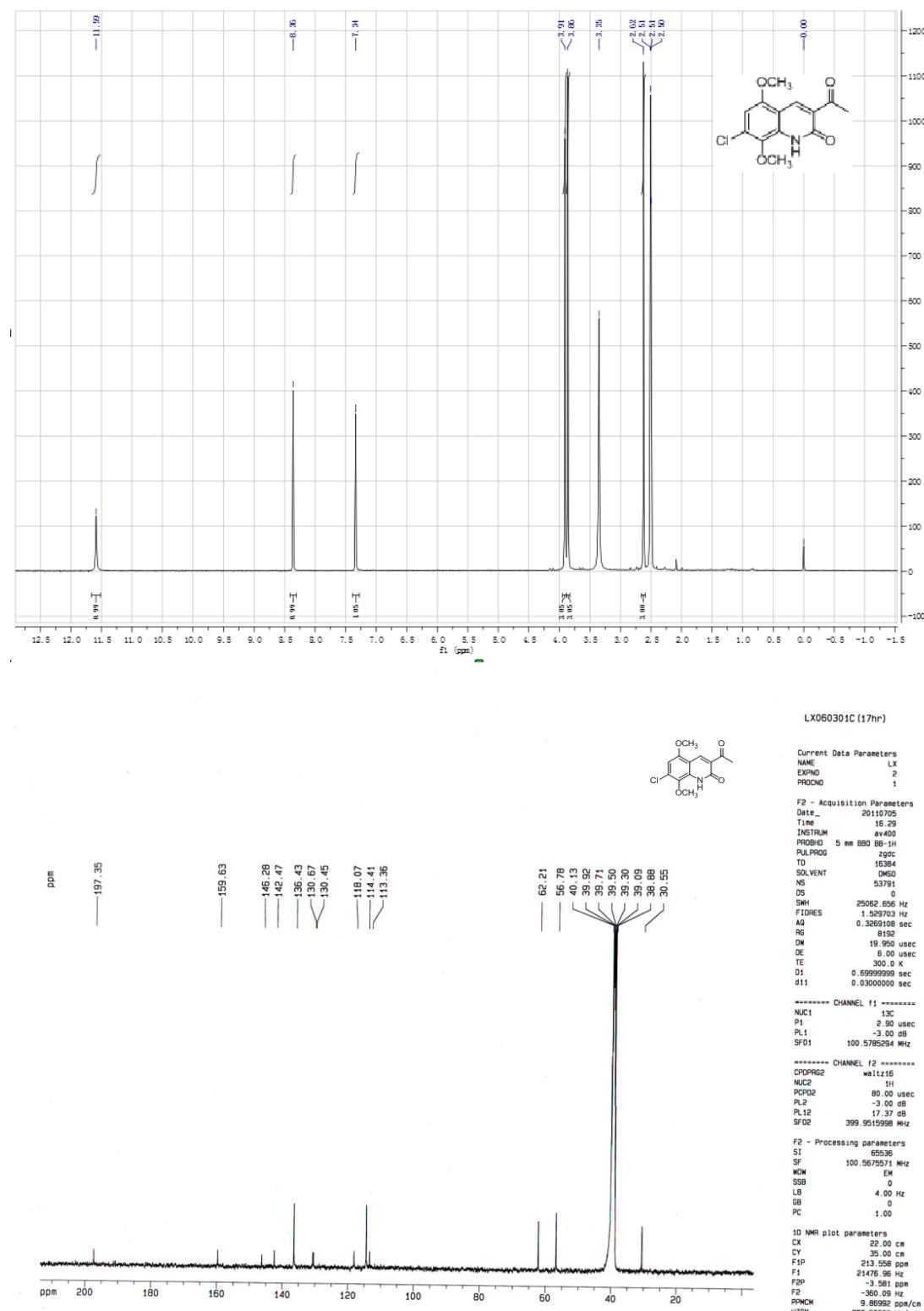
2g



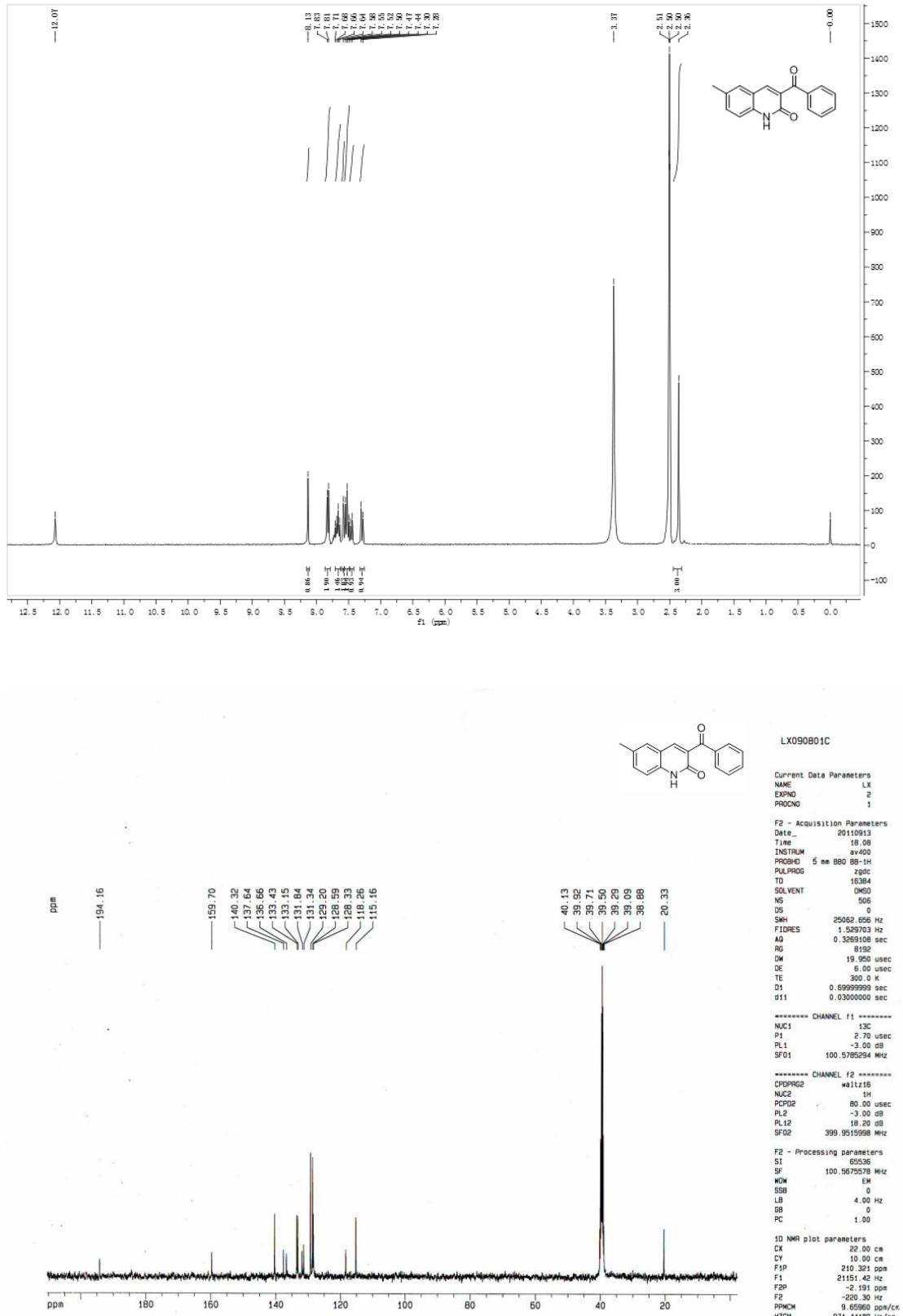
**2h**



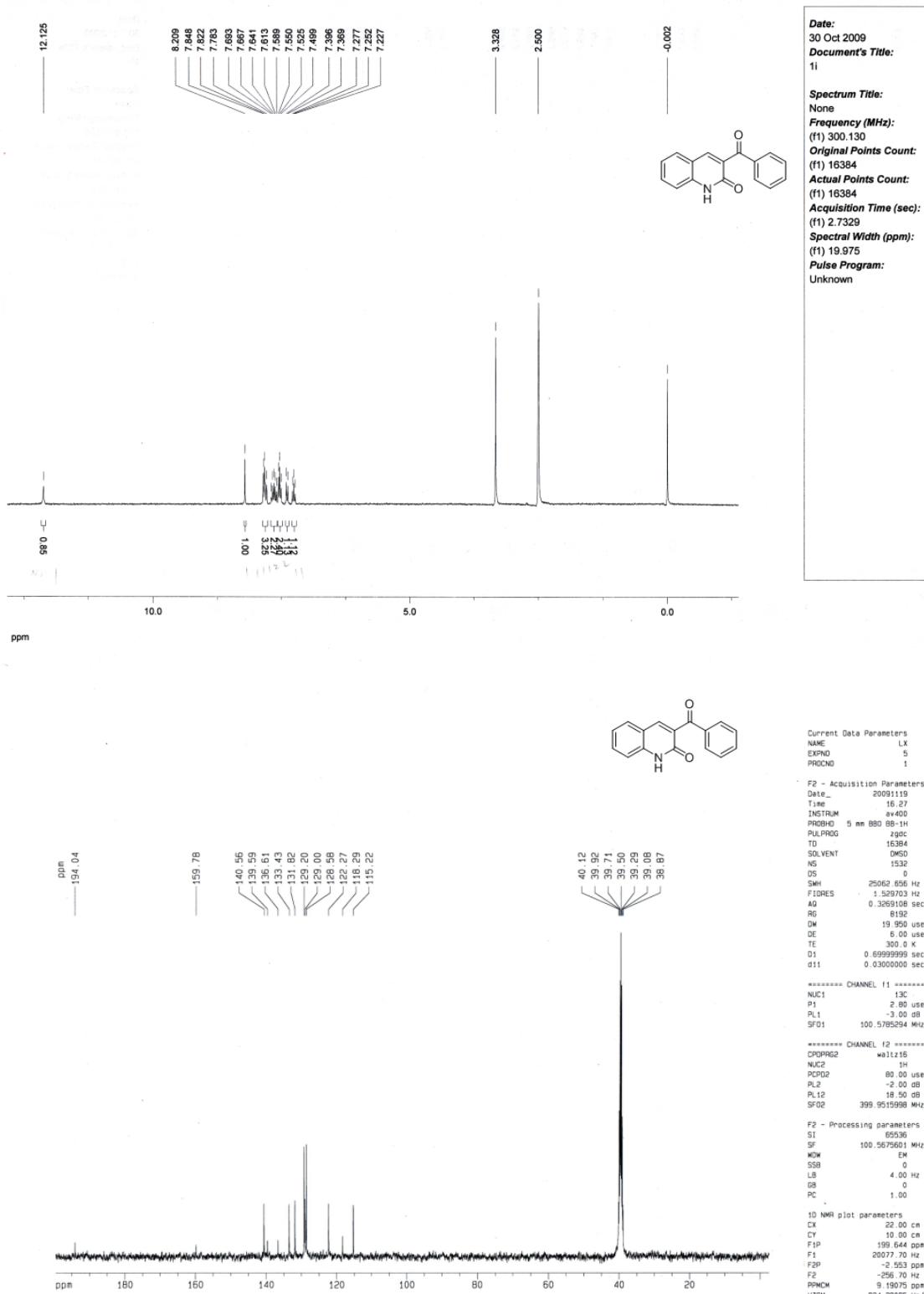
2i



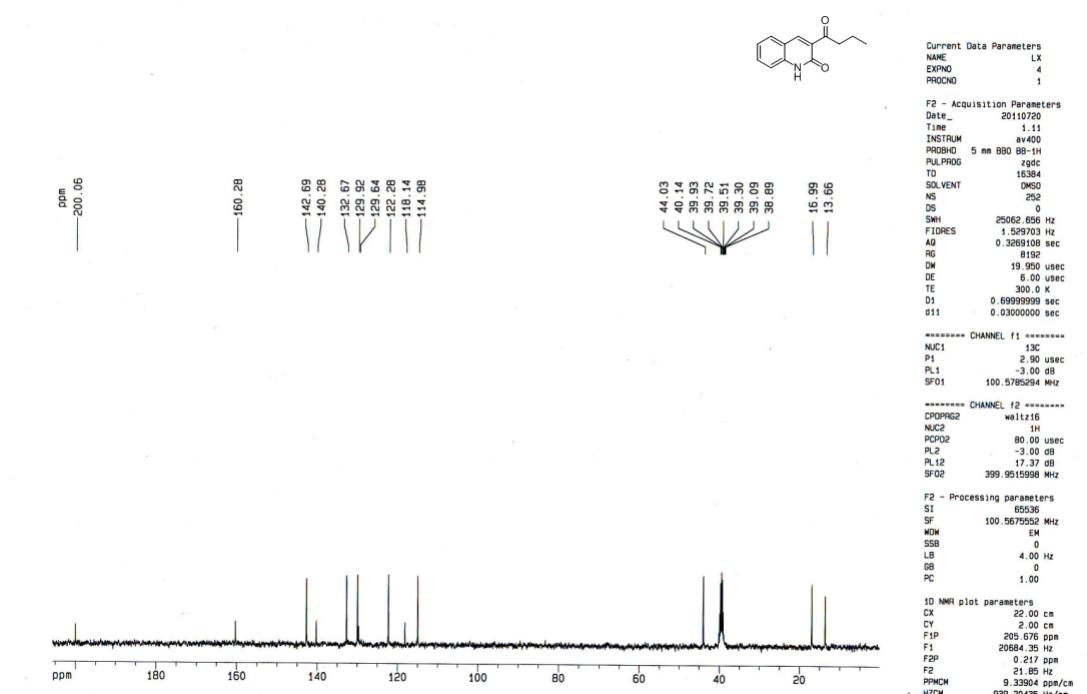
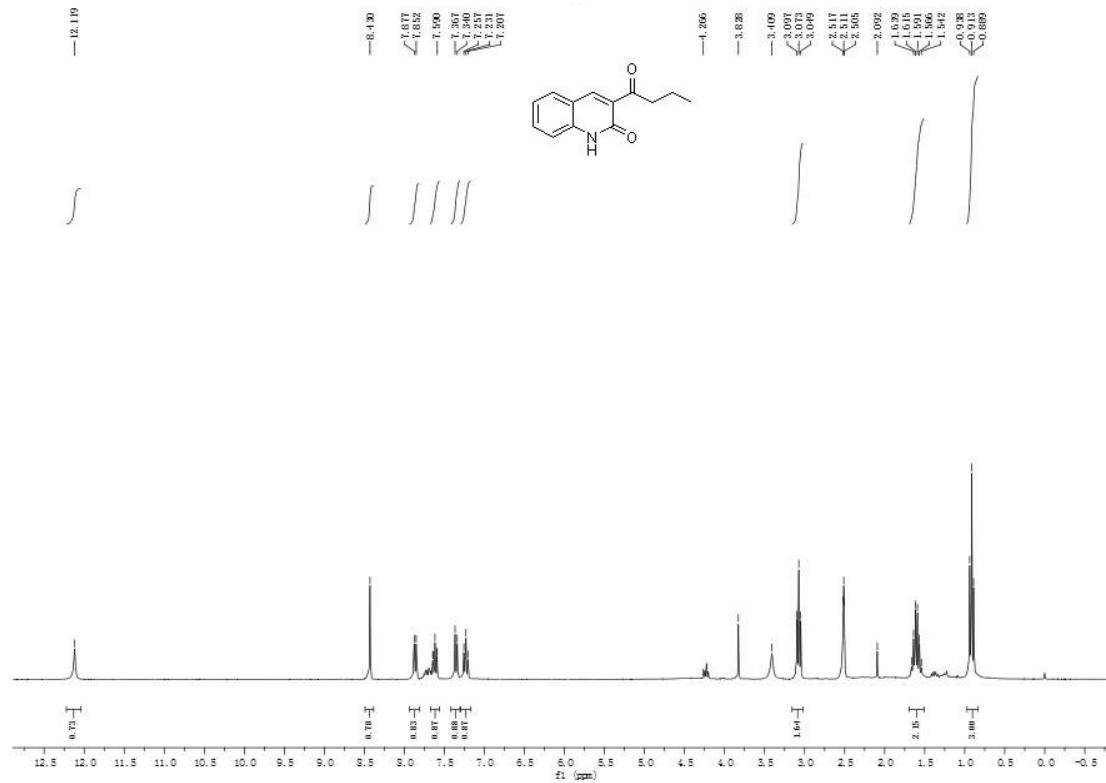
2j



**2k**



21



V. Copy of mass spectra for the extract of reaction mixture (entry 13, Table 2)

