

## Supporting Information for

### **AlCl<sub>3</sub>-catalyzed chemoselective cascade reactions of 4-anilinocoumarins with 2-furylcarbinols: an access to densely functionalized chromeno[4,3-b]pyrrol-4(1H)-one derivatives**

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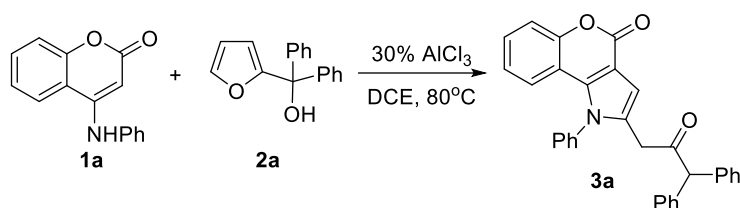
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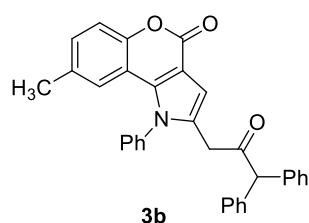
**General Methods.** All reactions were carried out under air. Unless noted, all commercial reagents were used without further purification.

<sup>1</sup>H NMR spectra was recorded at 400 or 500 MHz, <sup>13</sup>C NMR spectra was recorded at 100 or 125 MHz, and in CDCl<sub>3</sub> (containing 0.03% TMS) solutions. <sup>1</sup>H NMR spectra was recorded with tetramethylsilane ( $\delta = 0.00$  ppm) as internal reference; <sup>13</sup>C NMR spectra was recorded with CDCl<sub>3</sub> ( $\delta = 77.00$  ppm) as internal reference. GC-MS analyses were performed on a GC-MS analysis. High-resolution mass spectra were performed on an EI or ESI mass spectrometer.

**Typical procedure for the synthesis of 2-(2-oxo-3,3-diphenylpropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3a).**

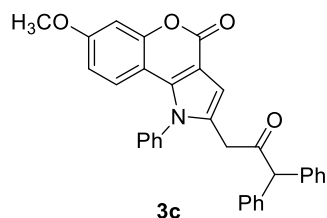


To a solution of aluminum trichloride (12.0 mg, 0.09 mmol) in DCE (3 mL) was added furan-2-ylidiphenylmethanol (112.6 mg, 0.45 mmol), 4-(phenylamino)-2H-chromen-2-one (71.2 mg, 0.3 mmol). The resulting solution was stirred at 80°C for 2 h. Then the solvent was evaporated under reduced pressure and the residue was purified by Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 85% yield as a light yellow solid. M.p. 176-178 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 3.74 (s, 2H), 5.00 (s, 1H), 6.43 (dd, *J* = 1.2, 8.0 Hz, 1H), 6.78 (s, 1H), 6.54 (t, *J* = 7.6 Hz, 1H), 7.03-7.05 (m, 4H), 7.23-7.28 (m, 9H), 7.36 (dd, *J* = 0.8, 8.4 Hz, 1H), 7.51 (t, *J* = 8.0 Hz, 2H), 7.62 (t, *J* = 7.6 Hz, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 40.3, 63.2, 108.1, 109.9, 114.0, 117.7, 120.6, 123.4, 127.4, 128.2, 128.8, 130.1, 130.2, 132.8, 135.6, 137.0, 137.6, 152.1, 159.0, 203.4. IR (neat) 3065, 1728, 1507, 1493, 1063, 1055, 747, 712 cm<sup>-1</sup>; HRMS (ESI, *m/z*) calcd. for C<sub>32</sub>H<sub>24</sub>NO<sub>3</sub> [M+H]<sup>+</sup> calc.: 470.1751 ; found: 470.1736.

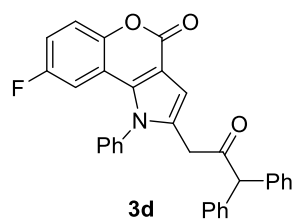


**8-methyl-2-(2-oxo-3,3-diphenylpropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3b).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 80% yield as a yellow solid. M.p. 170-172 °C. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):δ 2.02 (s, 3H), 3.74 (s, 2H), 5.02 (s, 1H), 6.14 (s, 1H), 6.77 (s, 1H), 7.03-7.07 (m, 5H), 7.23-7.28 (m, 9H), 7.50-7.53 (m, 2H), 7.61-7.64 (m, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 21.0, 40.4, 63.2,

108.1, 109.9, 113.6, 117.4, 120.7, 127.4, 128.8, 128.8, 128.8, 129.1, 130.0, 130.1, 132.6, 132.8, 135.8, 137.1, 137.6, 150.2, 159.2, 203.4. IR (neat) 3057, 2907, 1741, 1515, 1493, 1453, 1180, 1055, 764, 708  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{33}\text{H}_{26}\text{NO}_3$   $[\text{M}+\text{H}]^+$  calc.: 484.1907 ; found: 484.1895.

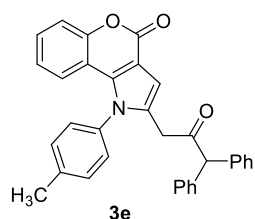


**7-methoxy-2-(2-oxo-3,3-diphenylpropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one (3c).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 2 : 1: 1) afforded the product in 75% yield as a white solid. M.p. 189-192 °C.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  3.71 (s, 2H), 3.76 (s, 3H), 5.00 (s, 1H), 6.31 (d,  $J = 9.0$  Hz, 1H), 6.44 (dd,  $J = 3.0, 9.0$  Hz, 1H), 6.74 (s, 1H), 6.87 (d,  $J = 3.0$  Hz, 1H), 7.03-7.05 (m, 4H), 7.23-7.27 (m, 8H), 7.48-7.51 (m, 2H), 7.58-7.62 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  40.4, 55.4, 63.1, 101.9, 107.3, 107.8, 107.9, 111.2, 121.5, 127.3, 128.7, 128.8, 128.8, 130.1, 131.9, 136.5, 137.0, 137.7, 153.7, 159.2, 159.8, 203.5. IR (neat) 2959, 1721, 1615, 1494, 1246, 1105, 1050, 795, 686  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{33}\text{H}_{26}\text{NO}_4$   $[\text{M}+\text{H}]^+$  calc.: 500.1856 ; found: 500.1848.



**8-fluoro-2-(2-oxo-3,3-diphenylpropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one (3d).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 79% yield as a white solid. M.p. 182-185 °C.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  3.75 (s, 2H), 5.00 (s, 1H), 6.03 (dd,  $J = 3.0, 10.0$  Hz, 1H), 6.78 (s, 1H), 6.94-6.98 (m, 1H), 7.02-7.05 (m, 4H), 7.23-7.29 (m, 8H), 7.30-7.33 (m, 1H), 7.52-7.55 (m, 2H), 7.62-7.68 (m, 1H);  $^{13}\text{C}$  NMR (125

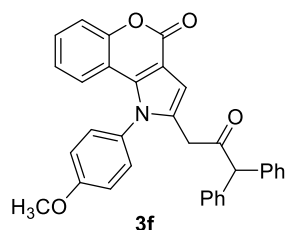
MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  40.3, 63.3, 106.7 (d,  $J = 26.9$  Hz), 108.2, 110.3, 114.6 (d,  $J = 9.6$  Hz), 115.4 (d,  $J = 24.3$  Hz), 119.0 (d,  $J = 8.8$  Hz), 127.4, 128.6, 128.8, 128.8, 130.3, 130.5, 133.4, 134.7, 136.4, 137.5, 148.2 (d,  $J = 2.1$  Hz), 157.0, 158.8 (d,  $J = 38.1$  Hz), 203.3; IR (neat) 3069, 1737, 1512, 1497, 1272, 1195, 1058, 816, 759 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>32</sub>H<sub>23</sub>FNO<sub>3</sub> [M+H]<sup>+</sup> calc.: 488.1657 ; found: 488.1646.



**2-(2-oxo-3,3-diphenylpropyl)-1-(p-tolyl)chromeno[4,3-b]pyrrol-4(1H)-one(3e).**

Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 76% yield as a light yellow solid. M.p. 182-183 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  2.52 (s, 3H), 3.74 (s, 2H), 5.01 (s, 1H), 6.49 (dd,  $J = 1.6, 8.2$  Hz, 1H), 6.77 (s, 1H), 6.85-6.89 (m, 1H), 7.03-7.06 (m, 4H), 7.11-7.13 (m, 2H), 7.22-7.29 (m, 9H), 7.36 (dd,  $J = 1.2, 8.4$  Hz, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  21.4, 40.4, 63.1, 108.0, 109.7, 114.0, 117.7, 120.6, 123.4, 127.3, 128.2, 128.4, 128.7, 128.8, 130.7, 132.9, 134.3, 135.67, 137.6, 140.3, 152.0, 159.1, 203.4; IR (neat) 3058, 2926, 2896, 1725, 1515, 1452, 1073, 1055, 964, 763 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>33</sub>H<sub>26</sub>NO<sub>3</sub> [M+H]<sup>+</sup> calc.: 484.1907 ; found: 484.1891.

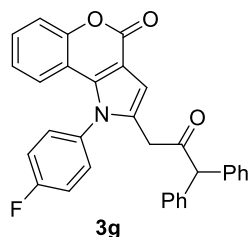


**1-(4-methoxyphenyl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one(3f).**

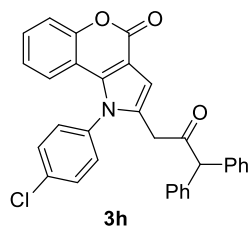
Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 2 : 1: 1) afforded the product in 71% yield as a light yellow solid.

M.p. 166-168 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  3.75 (s, 2H), 3.93 (s, 3H), 5.03 (s, 1H), 6.52 (dd,  $J = 2.4, 8.0$  Hz, 1H), 6.76 (s, 1H), 6.86-6.90 (m, 1H), 6.95-6.97

(m, 2H), 7.05-7.07 (m, 4H), 7.15-7.17 (m, 2H), 7.23-7.29 (m, 7H), 7.36 (dd,  $J = 1.2, 8.4$  Hz, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  40.4, 55.6, 63.1, 107.9, 109.7, 114.1, 115.1, 117.7, 120.6, 123.4, 127.3, 128.2, 128.7, 128.8, 129.3, 129.8, 133.1, 135.9, 137.6, 152.1, 159.1, 160.5, 203.5; IR (neat) 3058, 2964, 1725, 1513, 1497, 1254, 1050, 751, 715  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{33}\text{H}_{26}\text{NO}_4$   $[\text{M}+\text{H}]^+$  calc.: 500.1856; found: 500.1846.

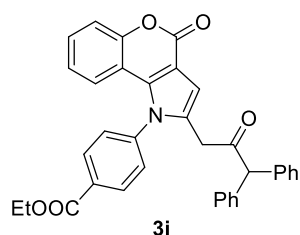


**1-(4-fluorophenyl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one (3g).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 79% yield as a light yellow solid. M.p. 157-158 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  3.77 (s, 2H), 5.05 (s, 1H), 6.44 (dd,  $J = 1.6, 8.0$  Hz, 1H), 6.76 (s, 1H), 6.86-6.91 (m, 1H), 7.05-7.08 (m, 4H), 7.13-7.18 (m, 2H), 7.24-7.31 (m, 9H), 7.36 (dd,  $J = 1.2, 8.4$  Hz, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  40.3, 63.1, 108.2, 110.0, 113.8, 117.1 (d,  $J = 22.6$  Hz), 117.8, 120.8, 123.5, 127.4, 128.4, 128.7, 128.8, 130.7 (d,  $J = 8.8$  Hz), 132.8, 132.9 (d,  $J = 3.4$  Hz), 135.8, 137.5, 152.0, 158.9, 163.1 (d,  $J = 250.1$  Hz), 203.4; IR (neat) 2962, 1727, 1261, 1092, 1025, 1014, 805  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{32}\text{H}_{23}\text{FNO}_3$   $[\text{M}+\text{H}]^+$  calc.: 488.1657; found: 488.1642.

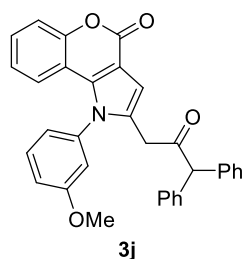


**1-(4-chlorophenyl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one (3h).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 83% yield as a white solid. M.p. 193-194 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  3.77 (s, 2H), 5.04 (s, 1H), 6.47

(dd,  $J = 1.6, 8.4$  Hz, 1H), 6.77 (s, 1H), 6.88-6.92 (m, 1H), 7.03-7.07 (m, 4H), 7.19-7.23 (m, 2H), 7.24-7.31 (m, 7H), 7.36 (dd,  $J = 1.2, 8.4$  Hz, 1H), 7.42-7.45 (m, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  40.3, 63.2, 108.4, 110.1, 113.8, 117.9, 120.4, 123.6, 127.5, 128.4, 128.7, 128.8, 130.1, 130.4, 132.7, 135.5, 135.7, 136.3, 137.4, 152.1, 158.8, 203.4; IR (neat) 3056, 1723, 1506, 1493, 1072, 1054, 737  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{32}\text{H}_{23}\text{ClNO}_3$   $[\text{M}+\text{H}]^+$  calc.: 504.1361 ; found: 504.1340.

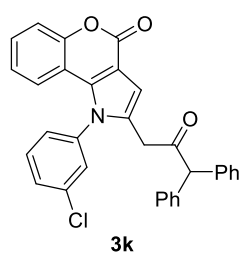


**Ethyl 4-(4-oxo-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-1(4H)-yl)benzoate(3i).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 2 : 1: 1) afforded the product in 82% yield as a light red oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  1.50 (t,  $J = 7.2$  Hz, 3H), 3.77 (s, 2H), 4.50 (q,  $J = 6.8$  Hz, 2H), 5.03 (s, 1H), 6.42 (dd,  $J = 1.2, 8.2$  Hz, 1H), 6.80 (s, 1H), 6.85-6.87 (m, 1H), 7.03-7.06 (m, 4H), 7.23-7.29 (m, 7H), 7.34-7.38 (m, 3H), 8.14-8.16 (m, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  14.3, 40.4, 61.7, 63.1, 108.6, 110.2, 113.7, 117.9, 120.4, 123.6, 127.4, 128.5, 128.7, 128.8, 128.9, 131.3, 132.1, 132.5, 135.6, 137.4, 140.8, 152.0, 158.8, 165.3, 203.2; IR (neat) 3064, 2981, 1716, 1503, 1274, 1262, 1098, 684  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{35}\text{H}_{28}\text{NO}_5$   $[\text{M}+\text{H}]^+$  calc.: 542.1962 ; found: 542.1948.

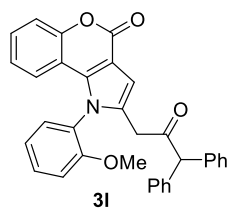


**1-(3-methoxyphenyl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one(3j).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 2 : 1: 1) afforded the product in 85% yield as a brown oil.  $^1\text{H}$

NMR (500 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  3.70 (s, 3H), 3.76 (dd,  $J = 17.5, 23.3$  Hz, 2H), 5.00 (s, 1H), 6.54 (dd,  $J = 1.5, 8.0$  Hz, 1H), 6.77 (s, 1H), 6.79 (t,  $J = 2.5$  Hz, 1H), 6.85-6.89 (m, 2H), 7.04-7.09 (m, 4H), 7.14-7.16 (m, 1H), 7.23-7.29 (m, 7H), 7.36-7.43 (m, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  40.4, 55.5, 63.2, 108.1, 109.8, 113.7, 113.9, 116.7, 117.7, 120.7, 120.7, 123.5, 127.3, 127.4, 128.3, 128.7, 128.7, 128.8, 130.8, 132.8, 135.6, 137.6, 137.7, 138.0, 152.1, 159.0, 160.7, 203.5; IR (neat) 3060, 2926, 1727, 1604, 1493, 1454, 1042, 755 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>33</sub>H<sub>26</sub>NO<sub>4</sub> [M+H]<sup>+</sup> calc.: 500.1856 ; found: 500.1834.

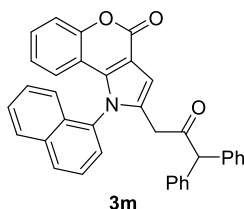


**1-(3-chlorophenyl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one(3k).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 2 : 1: 1) afforded the product in 82% yield as a brown solid. M.p. 77-80 °C. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  3.76 (s, 2H), 5.05 (s, 1H), 6.46 (dd,  $J = 1.5, 8.0$  Hz, 1H), 6.78 (s, 1H), 6.90 (t,  $J = 8.0$  Hz, 1H), 7.05-7.08 (m, 4H), 7.18-7.20 (m, 1H), 7.23-7.30 (m, 8H), 7.38 (dd,  $J = 1.5, 8.3$  Hz, 1H), 7.43 (t,  $J = 8.0$  Hz, 1H), 7.59 (dd,  $J = 3.0, 8.0$  Hz, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si):  $\delta$  40.3, 63.2, 108.5, 110.2, 113.7, 117.9, 120.4, 123.6, 127.3, 127.4, 127.5, 128.5, 128.7, 128.8, 128.8, 128.8, 128.9, 130.6, 131.0, 132.6, 135.7, 135.7, 137.4, 137.5, 138.1, 152.1, 158.8, 203.3; IR (neat) 3061, 3025, 1724, 1505, 1075, 756, 693 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>32</sub>H<sub>23</sub>ClNO<sub>3</sub> [M+H]<sup>+</sup> calc.: 504.1361 ; found: 504.1345.



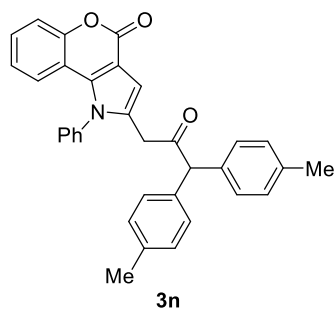
**1-(2-methoxyphenyl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one(3l).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate

/dichloromethane = 2 : 1: 1) afforded the product in 60% yield as a yellow oil. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 3.52 (s, 3H), 3.58 (d, *J* = 17.5 Hz, 1H), 3.66 (d, *J* = 17.0 Hz, 1H), 5.07 (s, 1H), 6.55 (dd, *J* = 1.5, 8.5 Hz, 1H), 6.83 (s, 1H), 6.86-6.89 (m, 1H), 7.01-7.03 (m, 2H), 7.05-7.12 (m, 4H), 7.21-7.31 (m, 8H), 7.38 (dd, *J* = 1.5, 8.3 Hz, 1H), 7.59 (td, *J* = 2.0, 8.0 Hz, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 40.5, 55.7, 62.6, 108.0, 110.0, 112.5, 114.3, 117.7, 120.1, 121.4, 123.5, 125.3, 127.2, 127.4, 128.1, 128.6, 128.8, 128.8, 128.9, 130.4, 131.8, 132.9, 135.9, 137.8, 137.9, 152.0, 155.6, 159.2, 203.1; IR (neat) 3048, 3024, 1719, 1508, 1452, 1069, 762, 734 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>33</sub>H<sub>26</sub>NO<sub>4</sub> [M+H]<sup>+</sup> calc.: 500.1856 ; found: 500.1845.



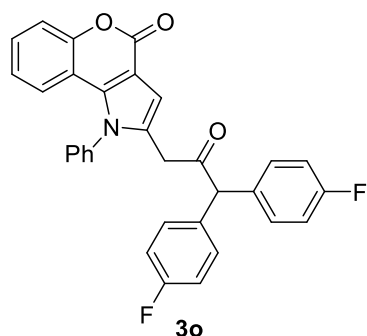
**1-(naphthalen-1-yl)-2-(2-oxo-3,3-diphenylpropyl)chromeno[4,3-b]pyrrol-4(1H)-one(3m).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 56% yield as a yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 3.39 (d, *J* = 17.6 Hz, 1H), 3.78 (d, *J* = 17.6 Hz, 1H), 4.84 (s, 1H), 6.14 (dd, *J* = 1.6, 8.0 Hz, 1H), 6.64 (t, *J* = 7.6 Hz, 1H), 6.90-6.94 (m, 5H), 7.05 (d, *J* = 8.4 Hz, 1H), 7.15-7.22 (m, 7H), 7.34-7.40 (m, 2H), 7.47 (dd, *J* = 1.2, 7.2 Hz, 1H), 7.52-7.57 (m, 2H), 8.02 (d, *J* = 8.0 Hz, 1H), 8.11 (d, *J* = 8.0, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 40.2, 63.2, 108.4, 110.2, 113.8, 117.7, 120.3, 122.1, 123.5, 125.6, 127.3, 127.3, 127.5, 128.2, 128.5, 128.5, 128.6, 128.7, 128.7, 130.6, 130.7, 133.2, 133.5, 134.3, 136.2, 137.5, 137.6, 152.0, 159.1, 203.2; IR (neat) 2964, 1722, 1262, 1033, 1011, 802, 732 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>36</sub>H<sub>26</sub>NO<sub>3</sub> [M+H]<sup>+</sup> calc.: 520.1907 ; found: 520.1890.





**2-(2-oxo-3,3-di-p-tolylpropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3n).**

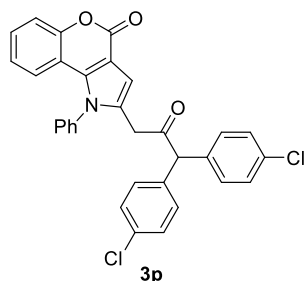
Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 78% yield as a brown solid. M.p. 85-86 °C. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 2.30 (s, 6H), 3.72 (s, 2H), 4.91 (s, 1H), 6.41 (dd, *J* = 1.5, 8.0 Hz, 1H), 6.77 (s, 1H), 6.83-6.87 (m, 1H), 6.90-6.91 (m, 4H), 7.07 (d, *J* = 8.0 Hz, 4H), 7.24-7.30 (m, 3H), 7.37 (dd, *J* = 1.5, 8.3 Hz, 1H), 7.51-7.54 (m, 2H), 7.61-7.65 (m, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 21.0, 40.1, 62.7, 108.0, 109.9, 114.0, 117.8, 120.6, 123.4, 128.2, 128.6, 128.8, 129.4, 130.1, 130.1, 133.0, 134.8, 135.6, 137.0, 137.1, 152.1, 159.1, 203.8; IR (neat) 2921, 1721, 1708, 1504, 1184, 1060, 792, 749 cm<sup>-1</sup>; HRMS (ESI, m/z) calcd. for C<sub>34</sub>H<sub>27</sub>NO<sub>3</sub>Na [M+Na]<sup>+</sup> calc.: 520.1883 ; found: 520.1883.



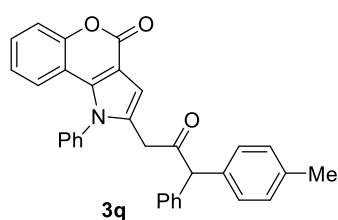
**2-(3,3-bis(4-fluorophenyl)-2-oxopropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-o**

**ne(3o).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 75% yield as a brown solid. M.p. 198-199°C. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 3.75 (s, 2H), 4.99 (s, 1H), 6.42 (dd, *J* = 1.5, 8.0 Hz, 1H), 6.79 (s, 1H), 6.84-6.87 (m, 1H), 6.94-7.00 (m, 8H), 7.25-7.30 (m, 3H), 7.37 (dd, *J* = 1.5, 8.3 Hz, 1H), 7.51-7.54 (m, 2H), 7.62-7.65 (m, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>, Me<sub>4</sub>Si): δ 40.4, 61.3, 108.2, 109.9, 113.9, 115.8 (d, *J* = 22.5 Hz),

117.8, 120.6, 123.5, 128.4, 128.8, 130.2, 130.2, 130.3 (d,  $J = 8.8$  Hz), 132.4, 133.3 (d,  $J = 2.5$  Hz), 135.8, 137.0, 152.1, 159.0, 162.0 (d,  $J = 245.0$  Hz) ; IR (neat) 3061, 1746, 1731, 1507, 1219, 1052, 822, 743  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. For  $\text{C}_{32}\text{H}_{22}\text{F}_2\text{NO}_3$   $[\text{M}+\text{H}]^+$  calc.: 506.1562 ; found: 506.1562.

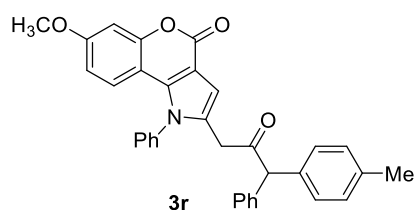


**2-(3,3-bis(4-chlorophenyl)-2-oxopropyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3p).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 5 : 1: 1) afforded the product in 62% yield as a grey solid. M.p.207-209°C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  3.74 (s, 2H), 4.95 (s, 1H), 6.41 (dd,  $J = 1.6, 8.0$  Hz, 1H), 6.80 (s, 1H), 6.83-6.88 (m, 1H), 6.92-7.96 (m, 4H), 7.22-7.29 (m, 7H), 7.38 (dd,  $J = 1.2, 6.8$  Hz, 1H), 7.50-7.54 (m, 2H), 7.62-7.66 (m, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  40.5, 61.5, 108.3, 110.0, 113.9, 117.8, 120.6, 123.5, 128.4, 128.7, 129.1, 130.0, 130.2, 130.3, 132.2, 133.7, 135.7, 135.9, 137.0, 152.1, 159.0, 202.6; IR (neat) 2965, 1725, 1729, 1510, 1223, 1060, 821, 730  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{32}\text{H}_{21}\text{Cl}_2\text{NO}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  calc.: 560.0791 ; found: 560.0790.

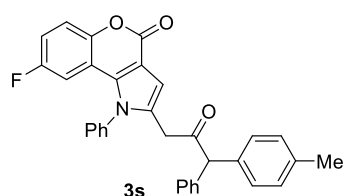


**2-(2-oxo-3-phenyl-3-(p-tolyl)propyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3q).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 5 : 1: 1) afforded the product in 74% yield as a brown solid. M.p. 81-82°C.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  2.31 (s, 3H), 3.73 (s, 2H), 4.96 (s, 1H), 6.43 (dd,  $J = 1.5, 8.0$  Hz, 1H), 6.78 (s, 1H), 6.83-6.87 (m, 1H), 6.92-6.93 (m,

2H), 7.01-7.03 (m, 2H), 7.08 (d,  $J = 8.0$  Hz, 2H), 7.23-7.30 (m, 6H), 7.38 (dd,  $J = 1.5$ , 8.3 Hz, 1H), 7.50-7.54 (m, 2H), 7.61-7.64 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  21.0, 40.2, 63.0, 108.1, 109.9, 114.0, 117.8, 120.6, 123.4, 127.3, 128.2, 128.7, 128.7, 128.8, 128.8, 128.8, 129.5, 130.1, 130.2, 132.9, 134.6, 135.7, 137.1, 137.8, 152.1, 159.1, 203.6; IR (neat) 3057, 2923, 1732, 1506, 1496, 1074, 1054, 965, 748,  $691\text{ cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{33}\text{H}_{25}\text{NO}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  calc.: 506.1727 ; found: 506.1727.

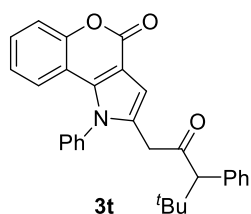


**7-methoxy-2-(2-oxo-3-phenyl-3-(p-tolyl)propyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3r).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 5 : 1: 1) afforded the product in 70% yield as a grey solid. M.p. 158-159°C.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  2.31 (s, 3H), 3.70 (s, 2H), 3.77 (s, 3H), 4.96 (s, 1H), 6.31 (dd,  $J = 1.0, 9.0$  Hz, 1H), 6.43-6.46 (m, 1H), 6.73 (s, 1H), 6.89 (s, 1H), 6.92 (d,  $J = 8.0$  Hz, 2H), 7.01-7.03 (m, 2H), 7.08 (d,  $J = 7.5$  Hz, 2H), 7.22-7.28 (m, 5H), 7.51 (t,  $J = 7.5$  Hz, 2H), 7.60-7.63 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  21.0, 40.2, 55.5, 62.8, 102.0, 107.4, 107.8, 108.0, 111.3, 121.5, 127.3, 128.7, 128.7, 128.8, 128.8, 128.9, 129.5, 130.1, 132.0, 134.6, 136.5, 137.1, 137.1, 137.9, 153.7, 159.2, 159.8, 203.7; IR (neat) 2962, 1723, 1616, 1585, 1505, 1467, 1247, 1146, 1101, 846, 818, 758,  $692\text{ cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{34}\text{H}_{27}\text{NO}_4\text{Na}$   $[\text{M}+\text{Na}]^+$  calc.: 536.1832 ; found: 536.1833.

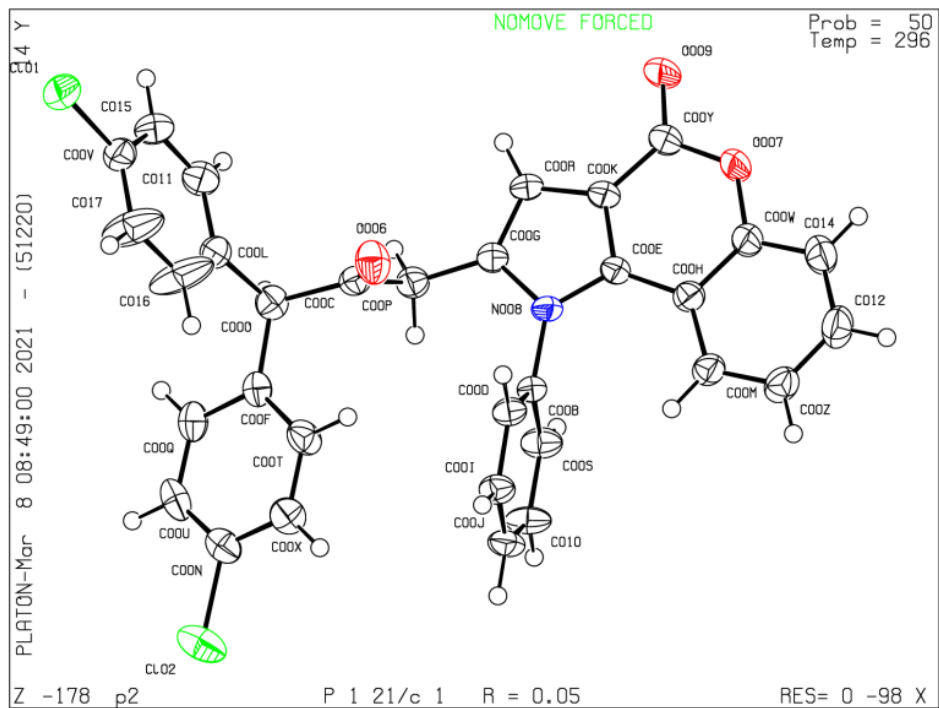


**8-fluoro-2-(2-oxo-3-phenyl-3-(p-tolyl)propyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3s).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 5 : 1: 1) afforded the product in 58% yield as a brown solid. M.p.

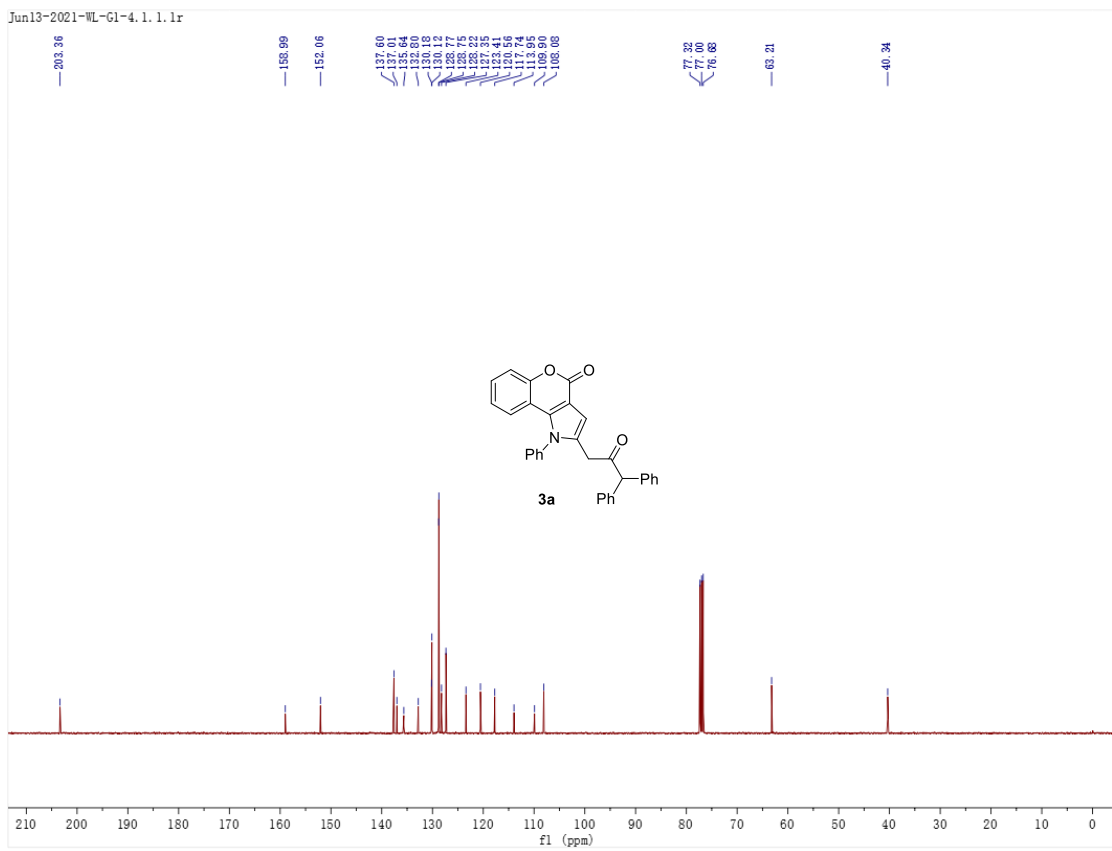
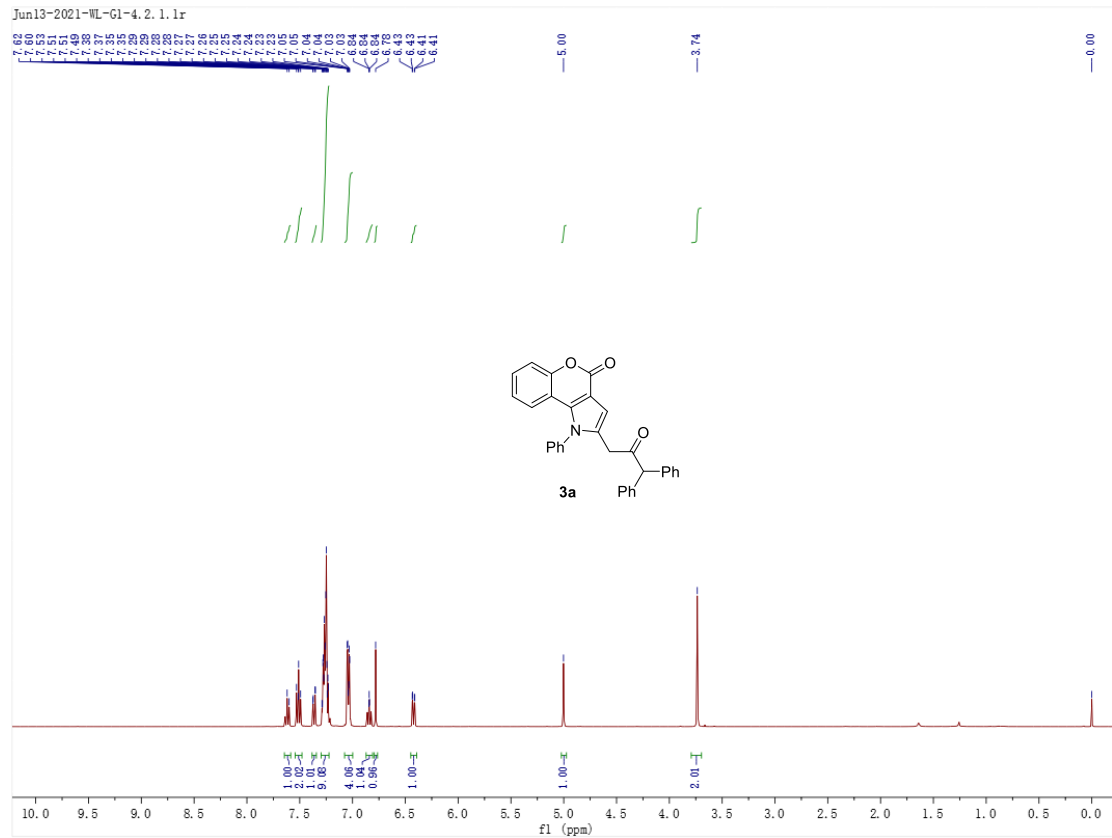
86-87°C.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  2.31 (s, 3H), 3.74 (s, 2H), 4.95 (s, 1H), 6.03 (dd,  $J = 2.5, 9.8$  Hz, 1H), 6.78 (s, 1H), 6.92 (d,  $J = 8.0$  Hz, 2H), 6.95-6.99 (m, 1H), 7.01-7.03 (m, 2H), 7.08 (d,  $J = 8.0$  Hz, 2H), 7.23-7.29 (m, 5H), 7.33 (dd,  $J = 4.5, 9.0$  Hz, 1H), 7.54 (t,  $J = 7.5$  Hz, 2H), 7.64-7.67 (m, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  21.0, 10.2, 63.1, 106.7 (d,  $J = 26.3$  Hz), 108.2, 110.4, 114.6 (d,  $J = 8.8$  Hz), 115.4 (d,  $J = 23.8$  Hz), 119.1 (d,  $J = 8.8$  Hz), 127.3, 128.7, 128.7, 128.7, 128.7, 129.5, 130.3, 130.5, 133.5, 134.5, 134.7, 136.5, 137.2, 137.8, 148.2, 157.1, 158.8 (d,  $J = 37.5$  Hz), 203.4 ; IR (neat) 3058, 3023, 1737, 1513, 1199, 1053, 825, 761, 687  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{33}\text{H}_{24}\text{FNO}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  calc.: 524.1632 ; found: 524.1632.

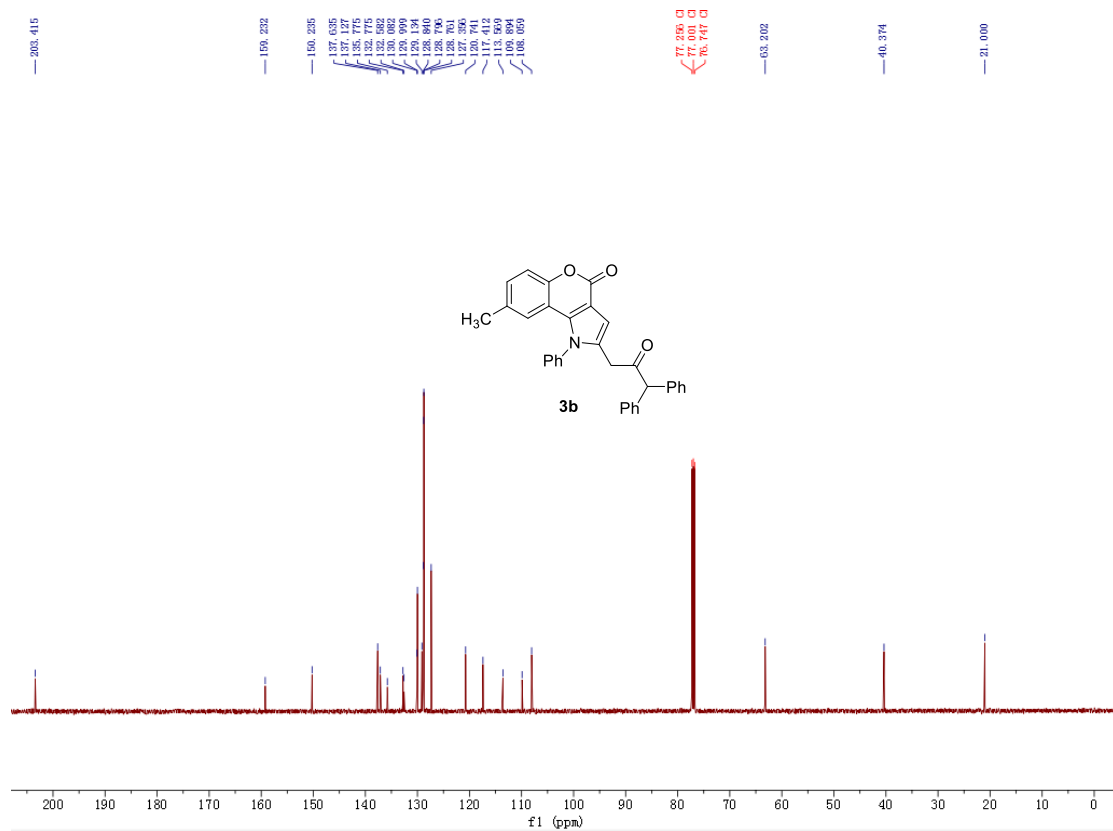
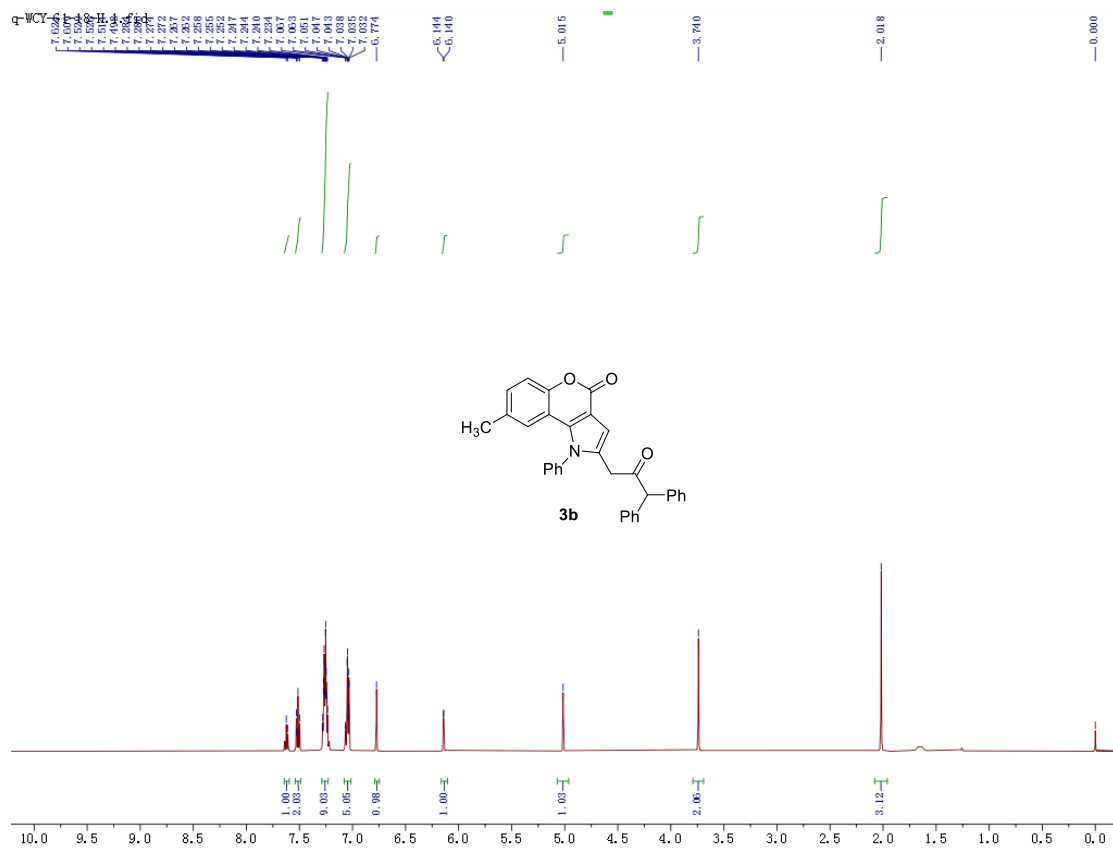


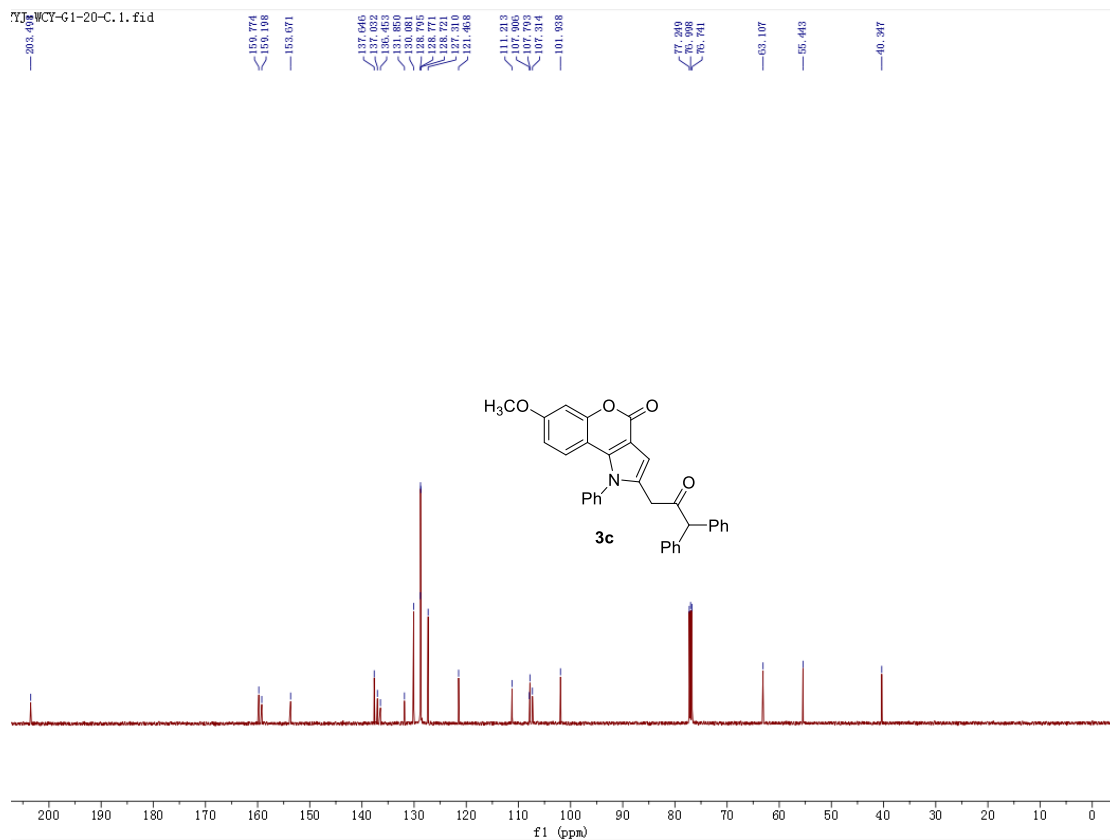
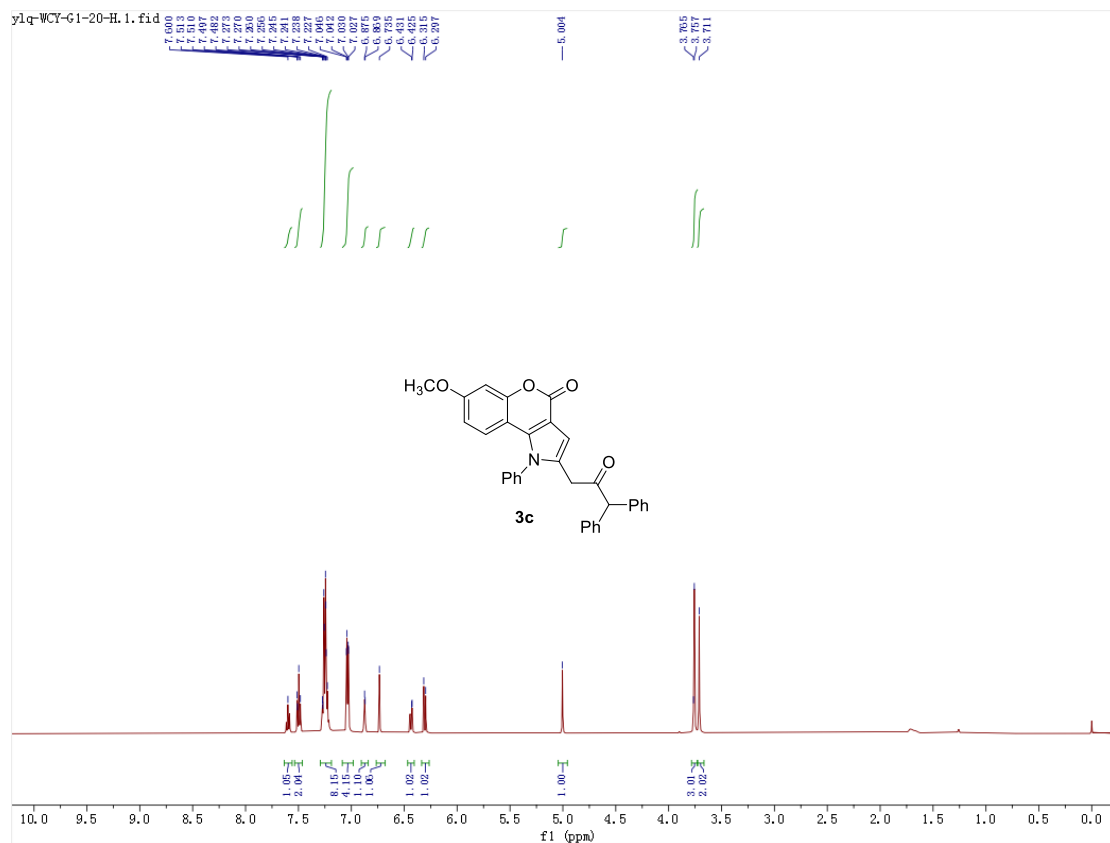
**2-(4,4-dimethyl-2-oxo-3-phenylpentyl)-1-phenylchromeno[4,3-b]pyrrol-4(1H)-one(3t).** Chromatography on silica gel (eluent:petroleum ether / ethyl acetate /dichloromethane = 3 : 1: 1) afforded the product in 50% yield as a white solid. M.p. 147-149 °C.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  0.87 (s, 9H), 3.49 (s, 1H), 3.54 (d,  $J = 7.0$  Hz, 2H), 6.41-6.43 (m, 1H), 6.72 (s, 1H), 6.84 (t,  $J = 8.0$  Hz, 1H), 7.06-7.08 (m, 2H), 7.19 (dd,  $J = 2.0, 7.5$  Hz, 1H), 7.24-7.27 (m, 5H), 7.37 (d,  $J = 8.5$  Hz, 1H), 7.42-7.48 (m, 2H), 7.54 (td,  $J = 1.5, 7.5$  Hz, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ,  $\text{Me}_4\text{Si}$ ):  $\delta$  27.8, 34.6, 42.3, 66.7, 108.0, 109.9, 114.0, 117.7, 120.6, 123.4, 127.3, 128.2, 128.5, 128.7, 130.0, 130.1, 130.2, 133.1, 135.2, 135.5, 137.0, 152.0, 159.1, 205.4; IR (neat) 3054, 2954, 2903, 1722, 1506, 1454, 1069, 965, 751, 702  $\text{cm}^{-1}$ ; HRMS (ESI,  $m/z$ ) calcd. for  $\text{C}_{30}\text{H}_{27}\text{NO}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  calc.: 472.1883 ; found: 472.1884.



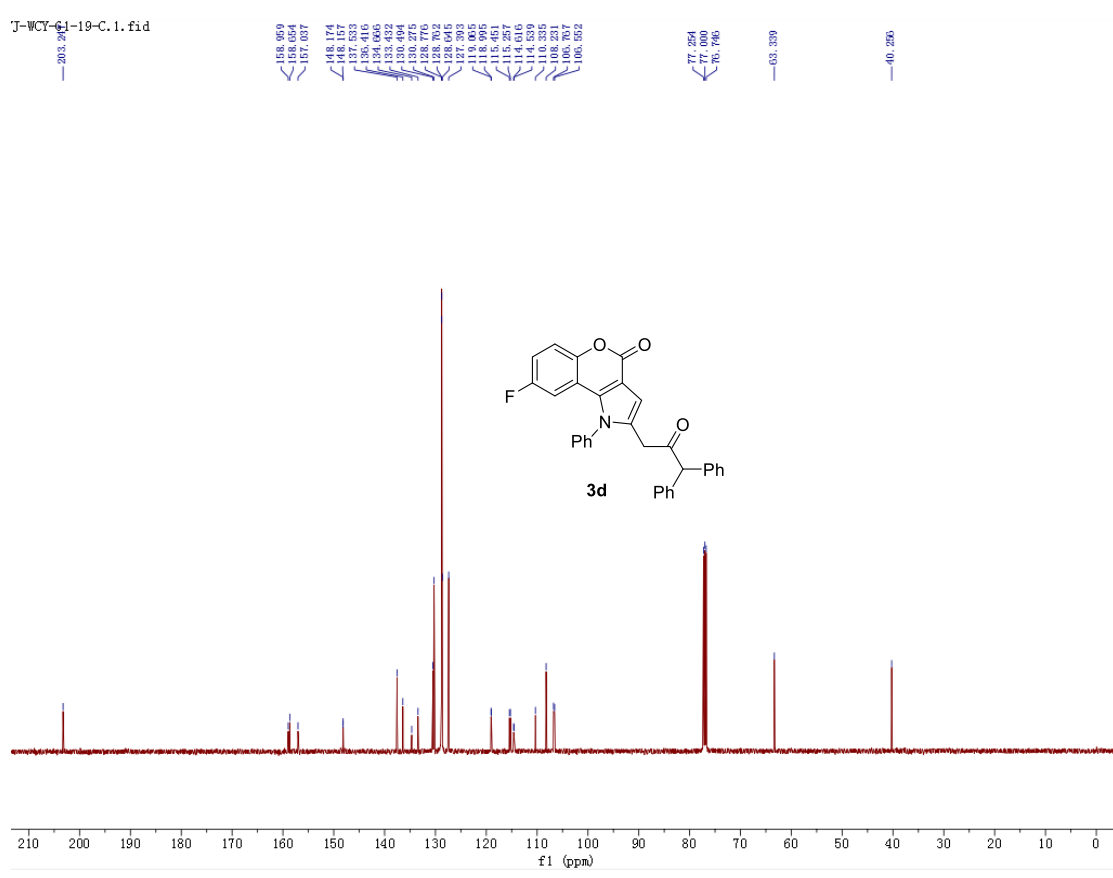
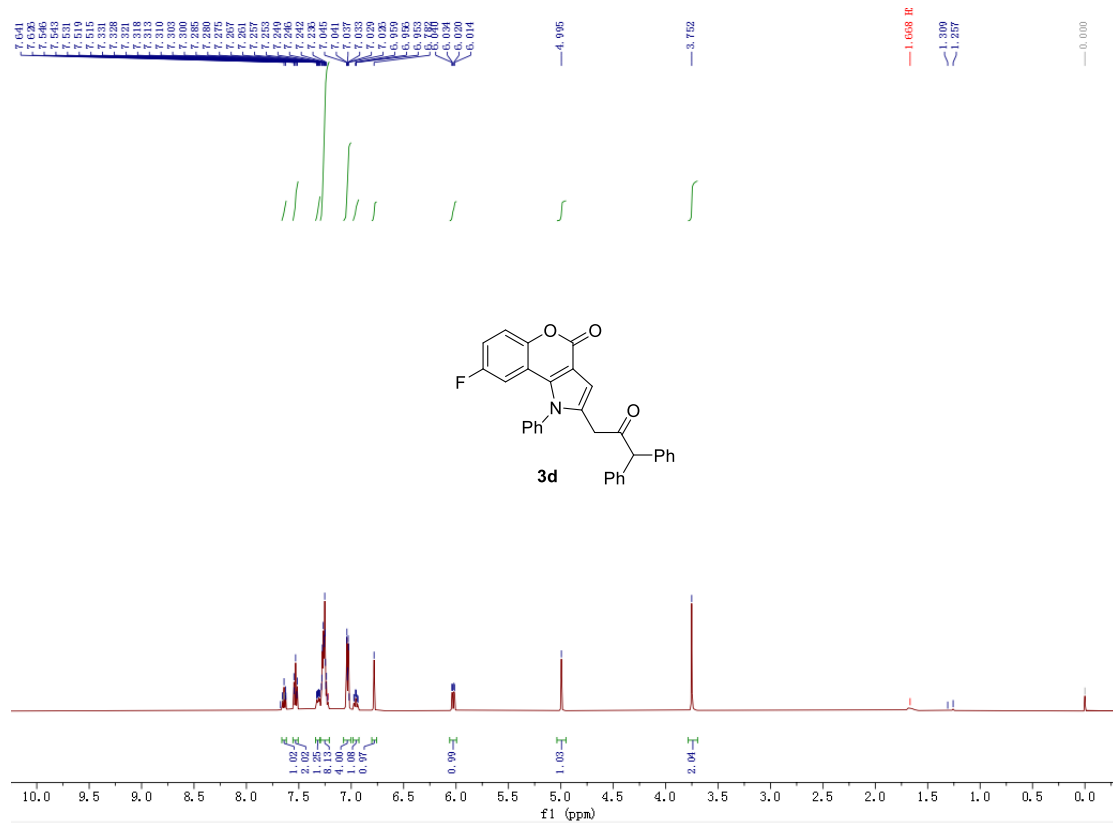
X-ray crystal structure of **3p**

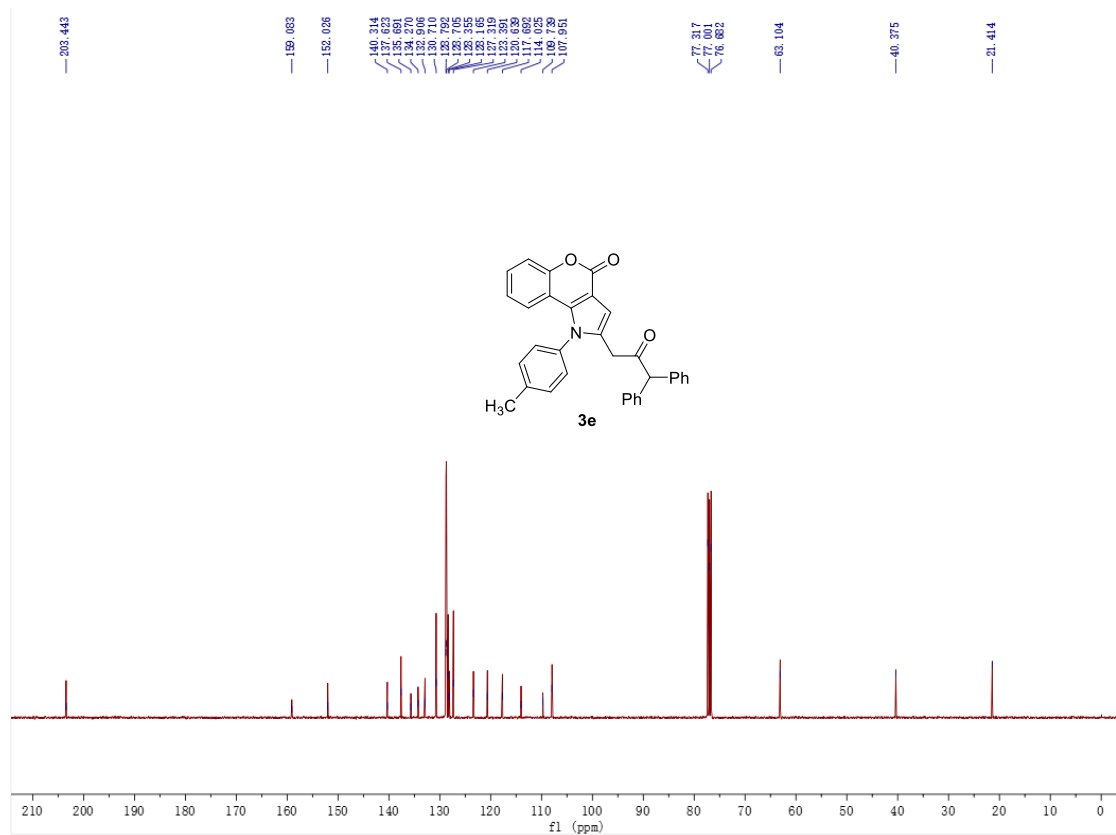
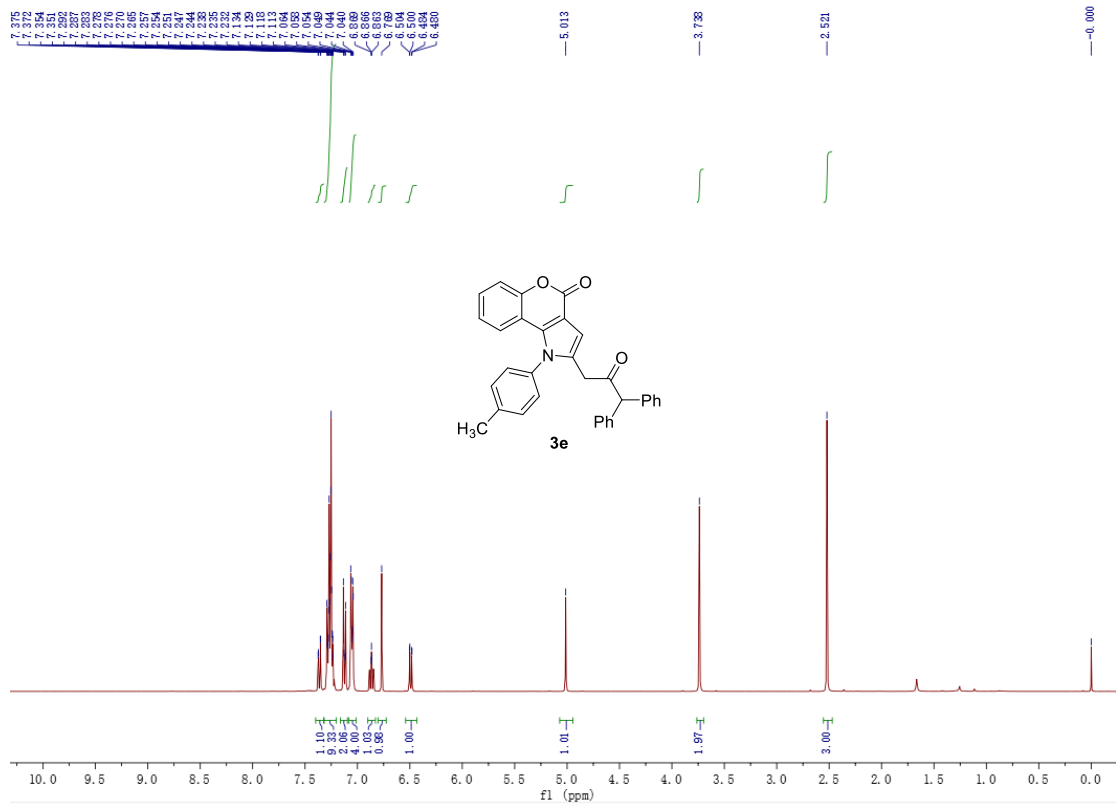


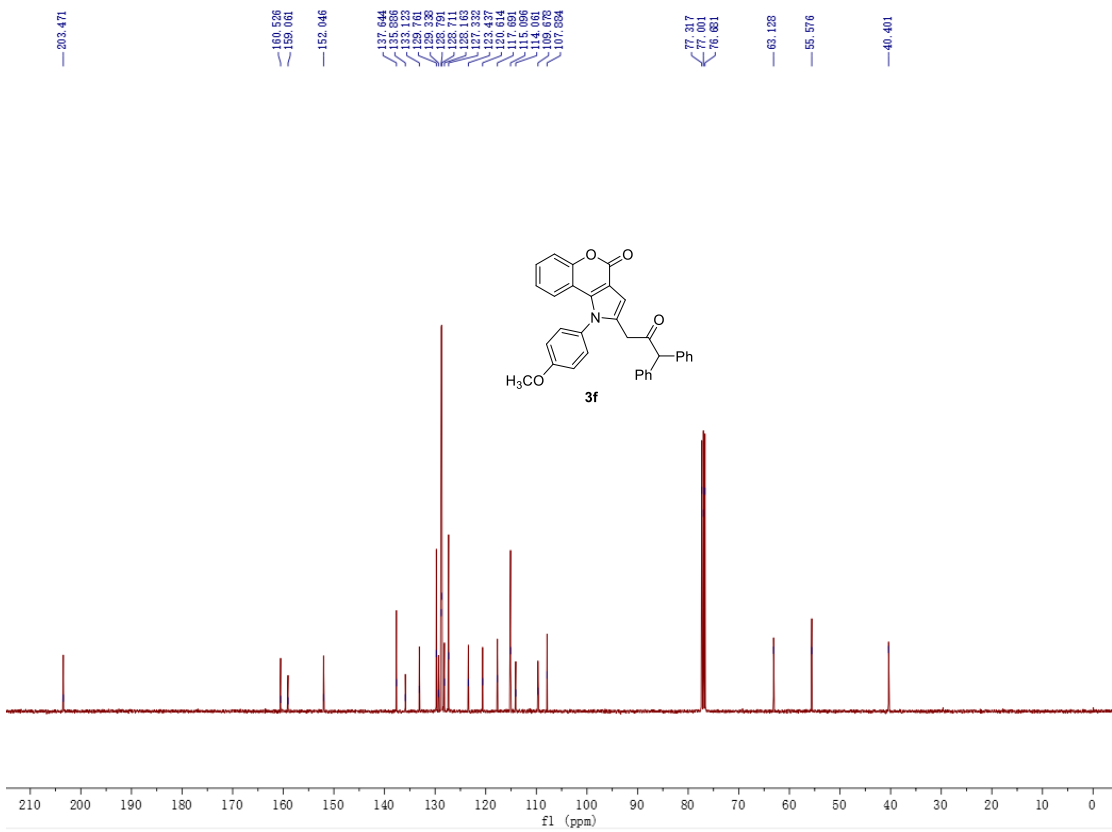
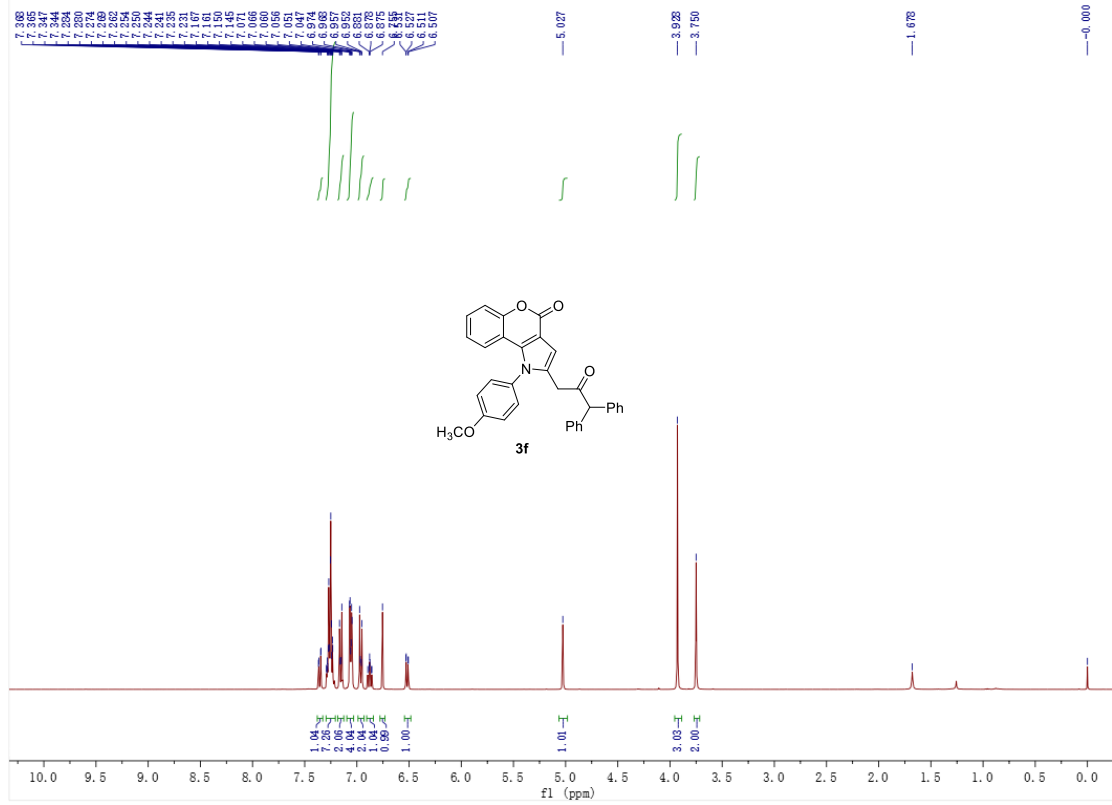


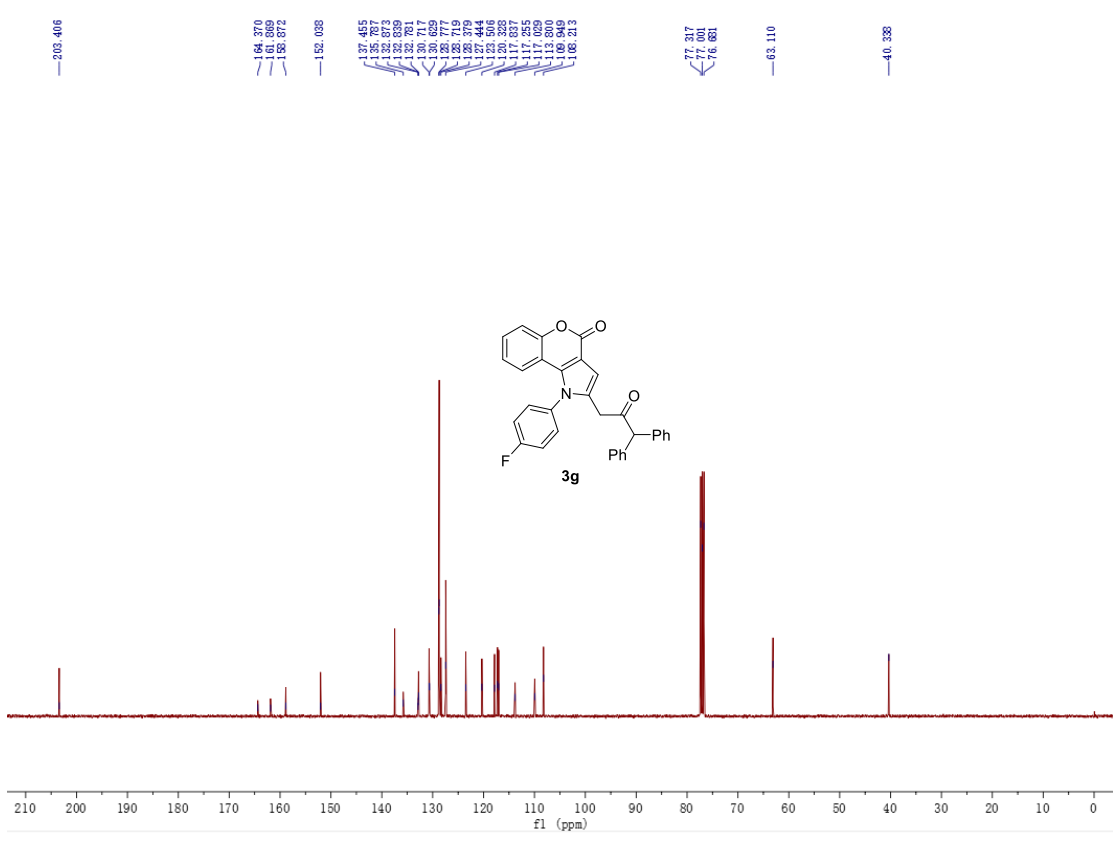
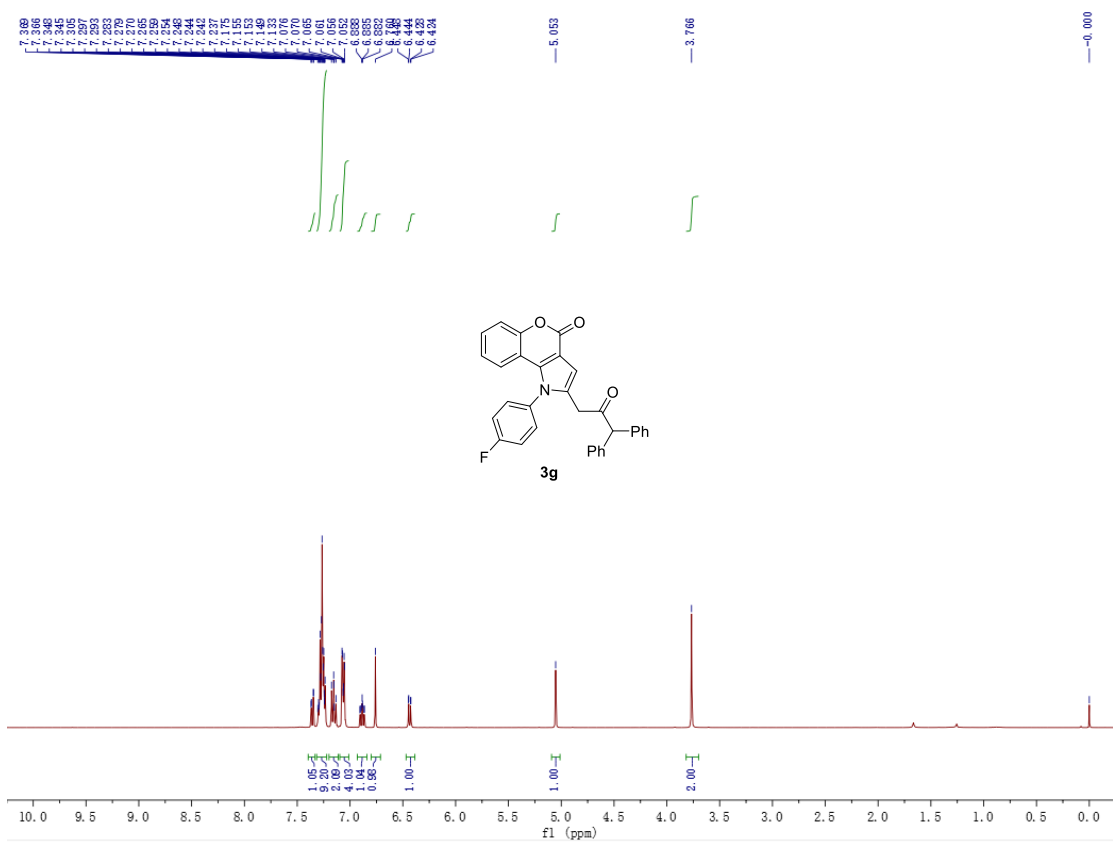


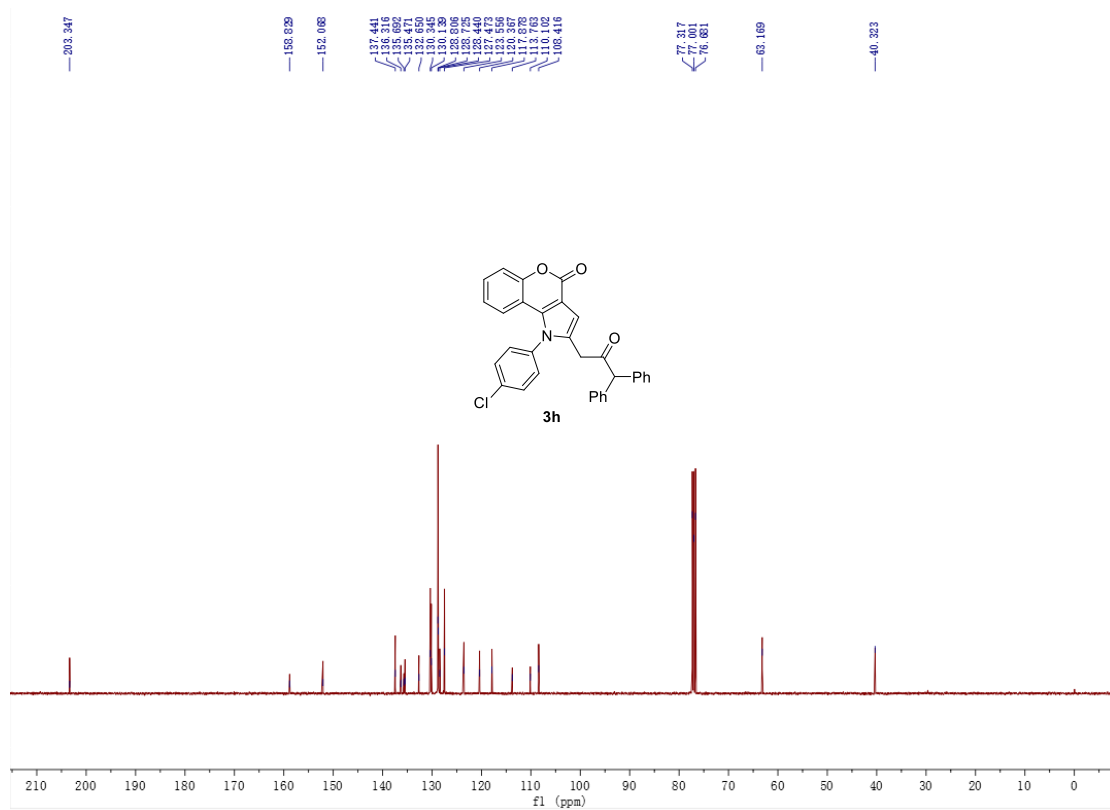
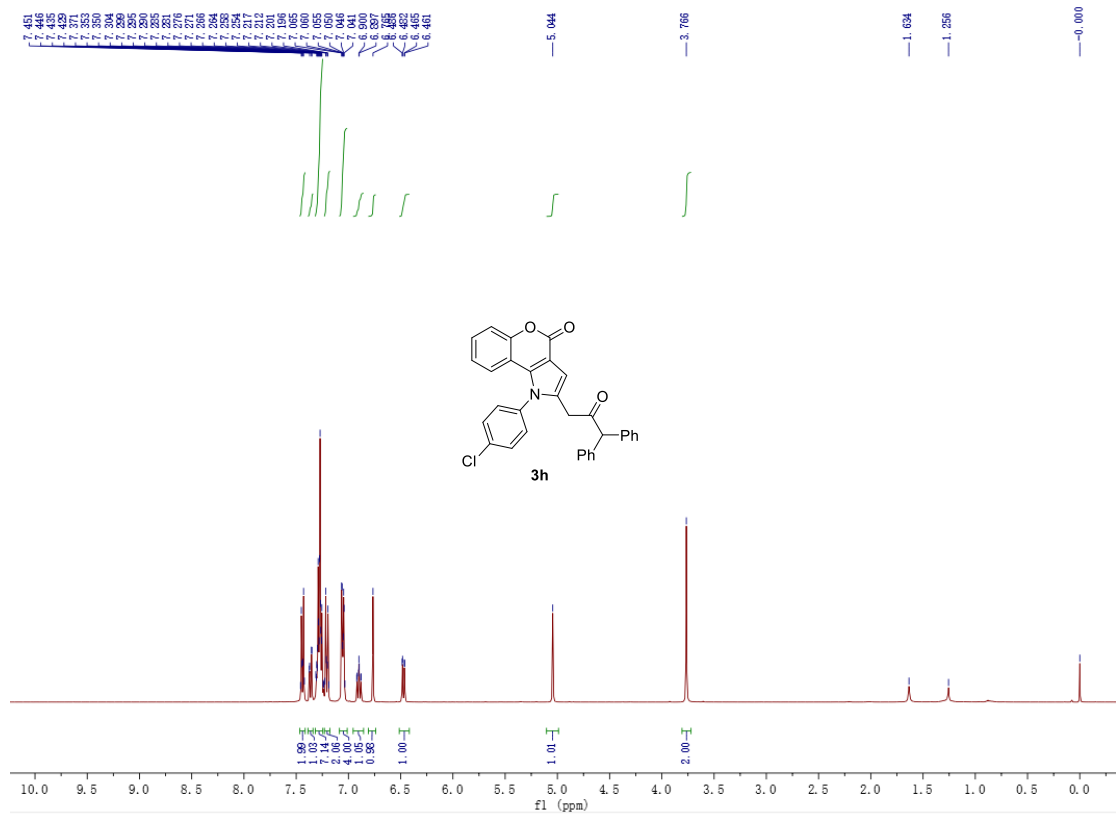




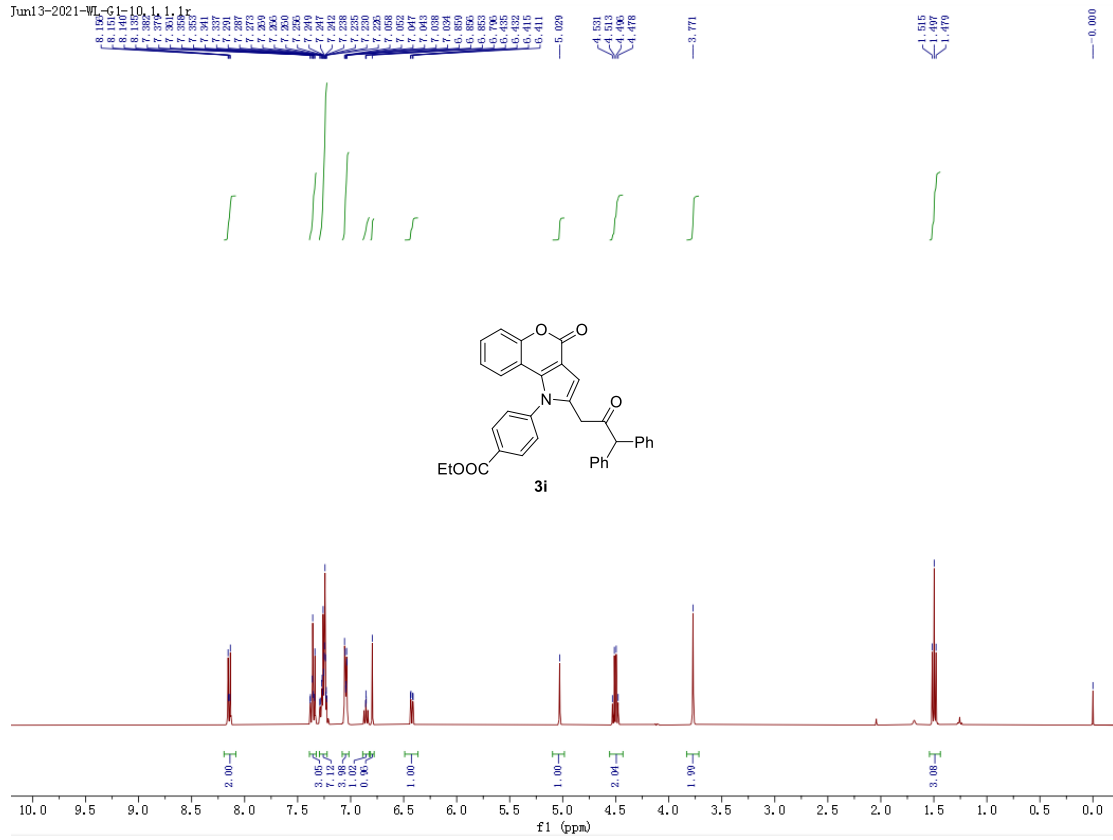




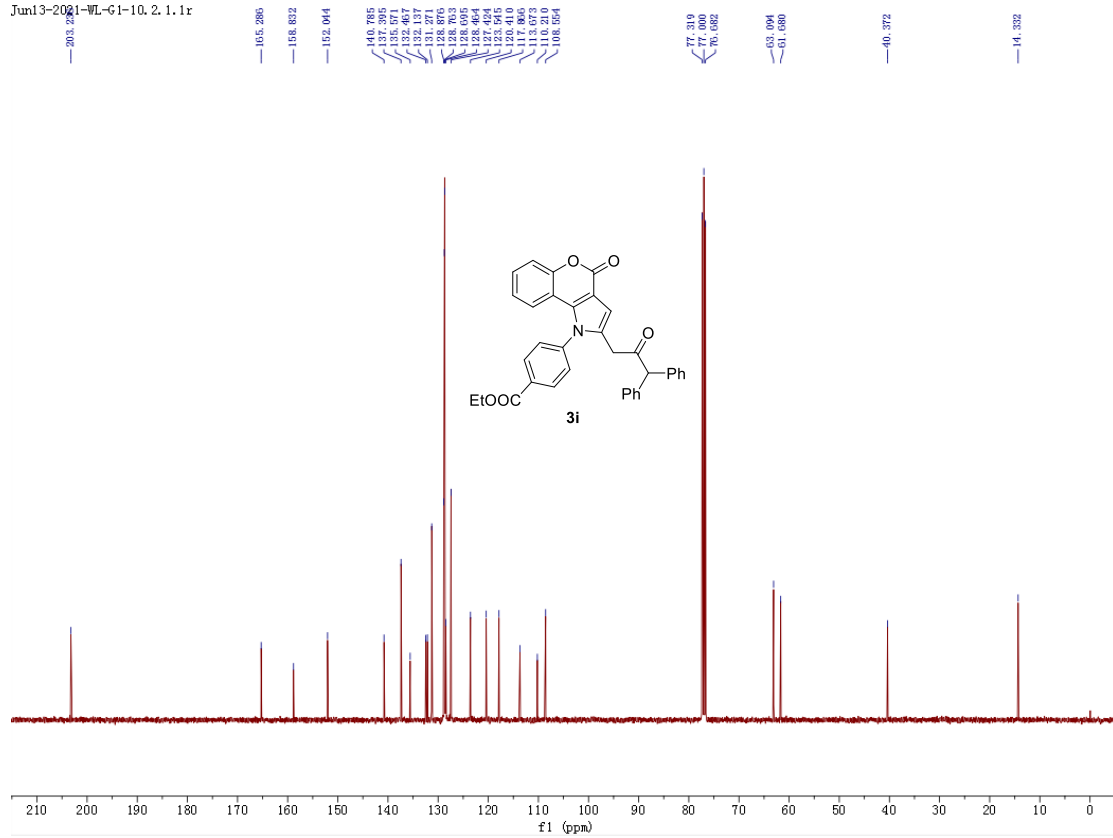


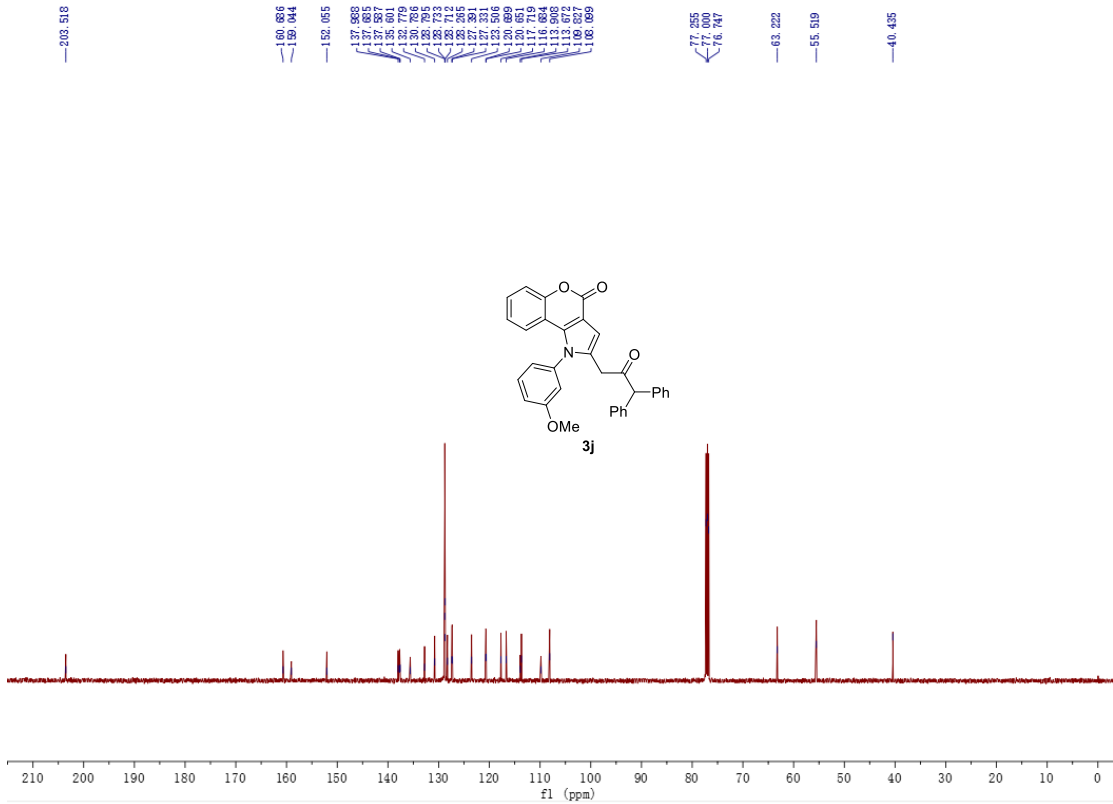
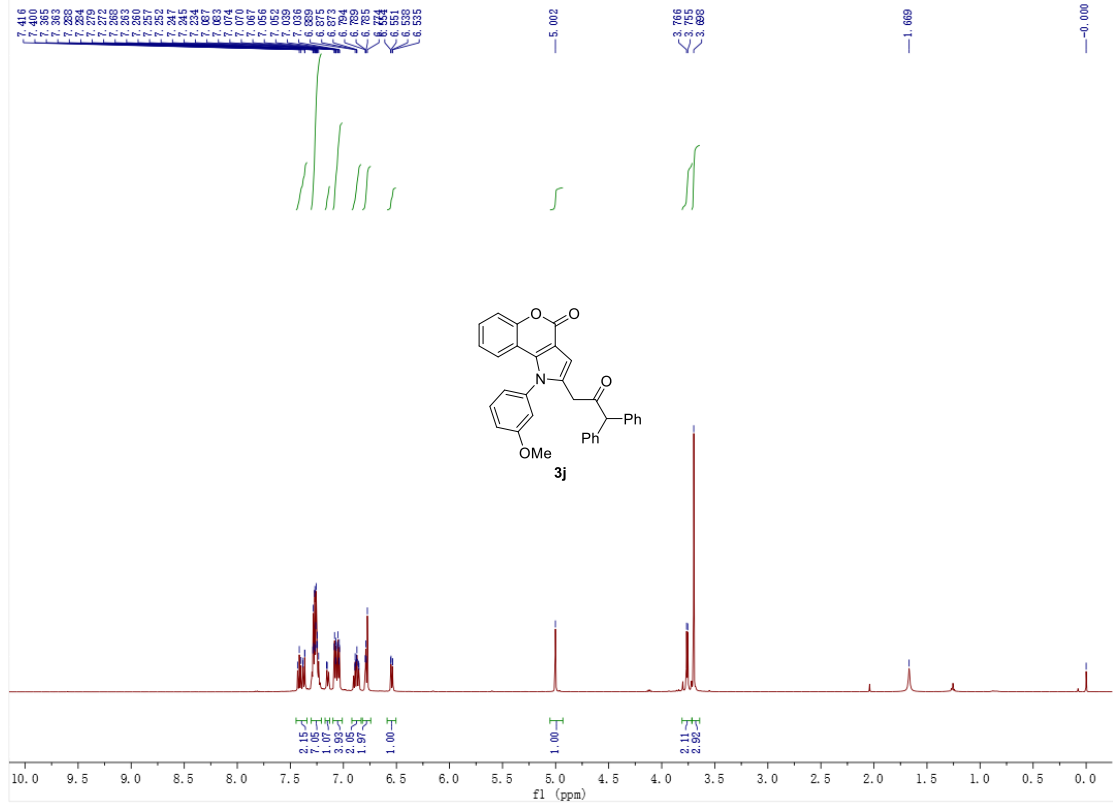


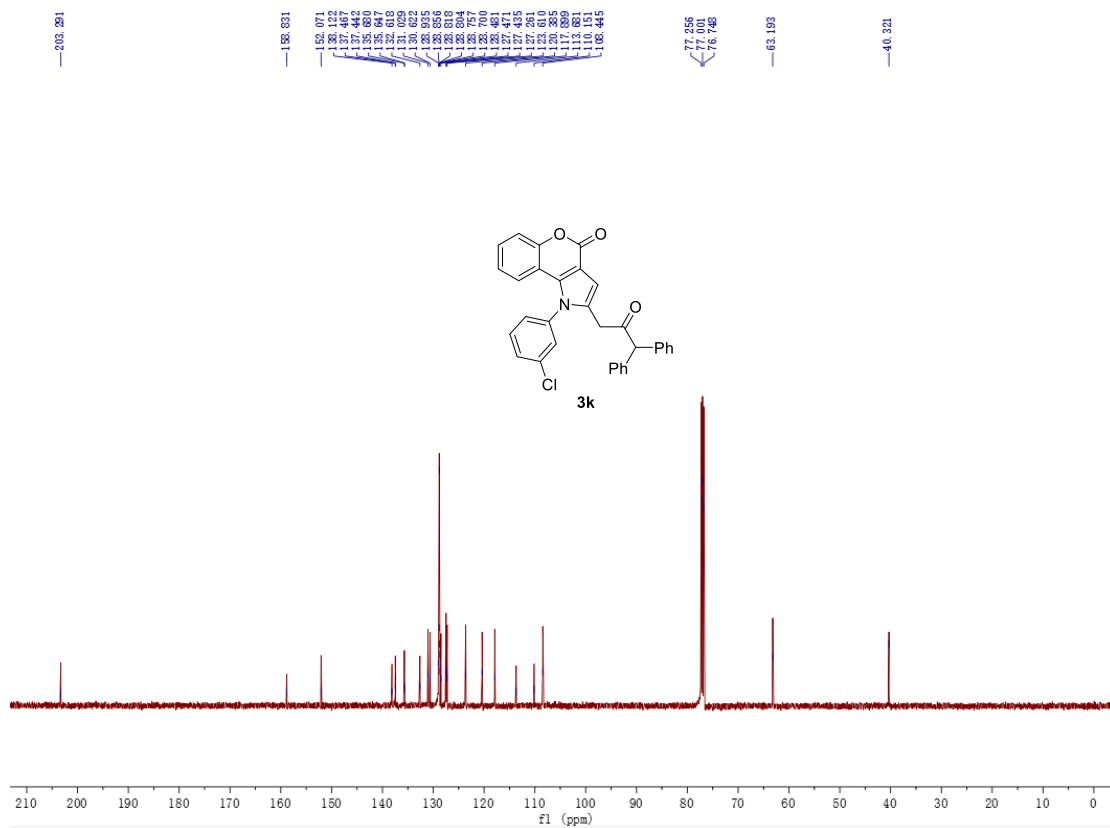
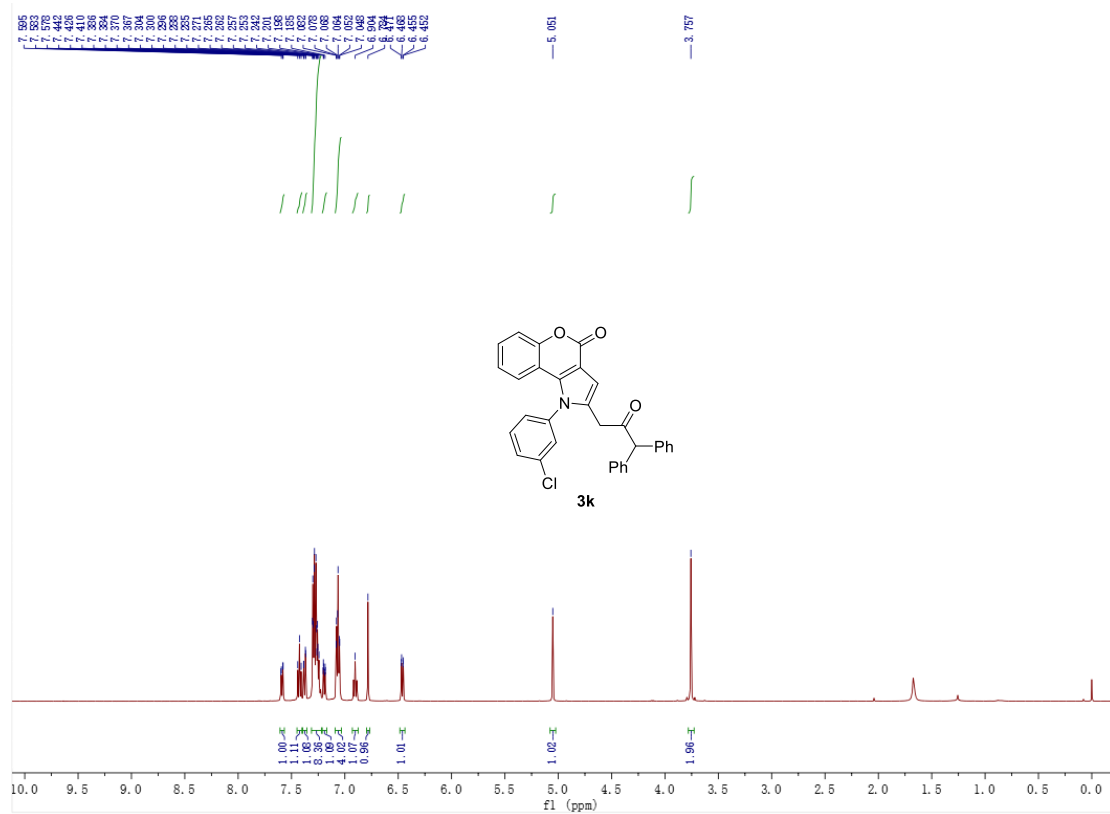
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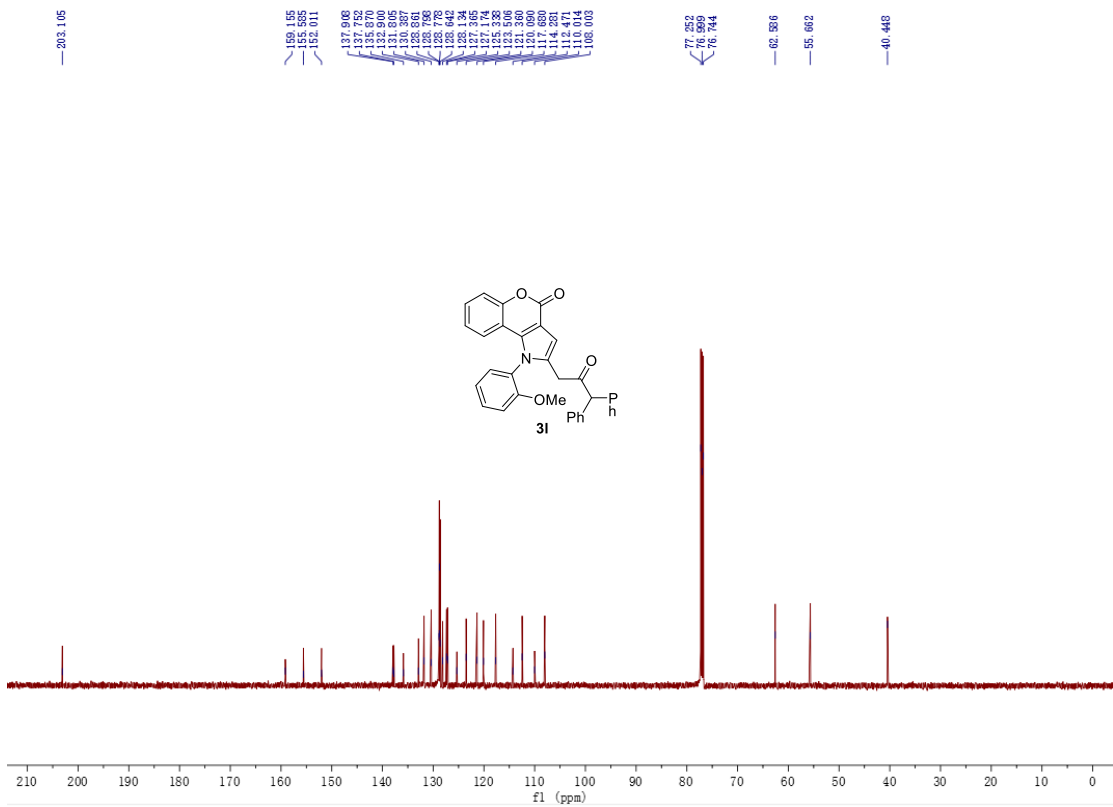
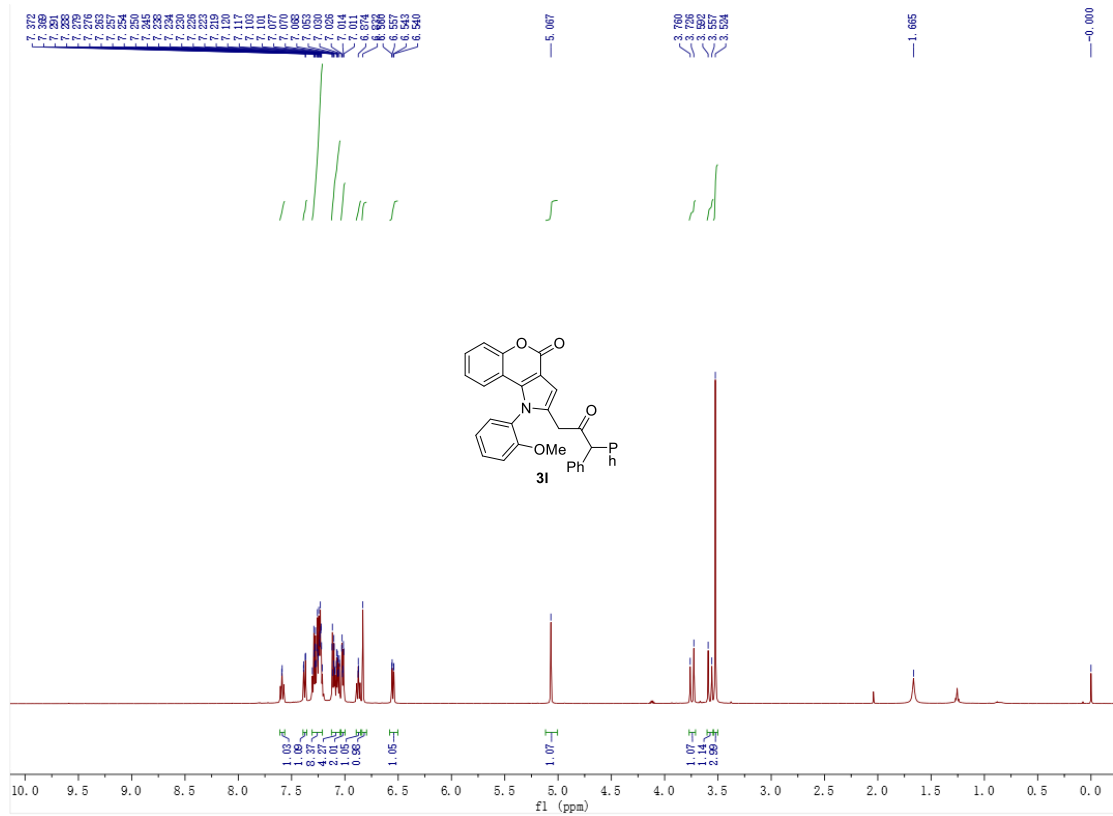
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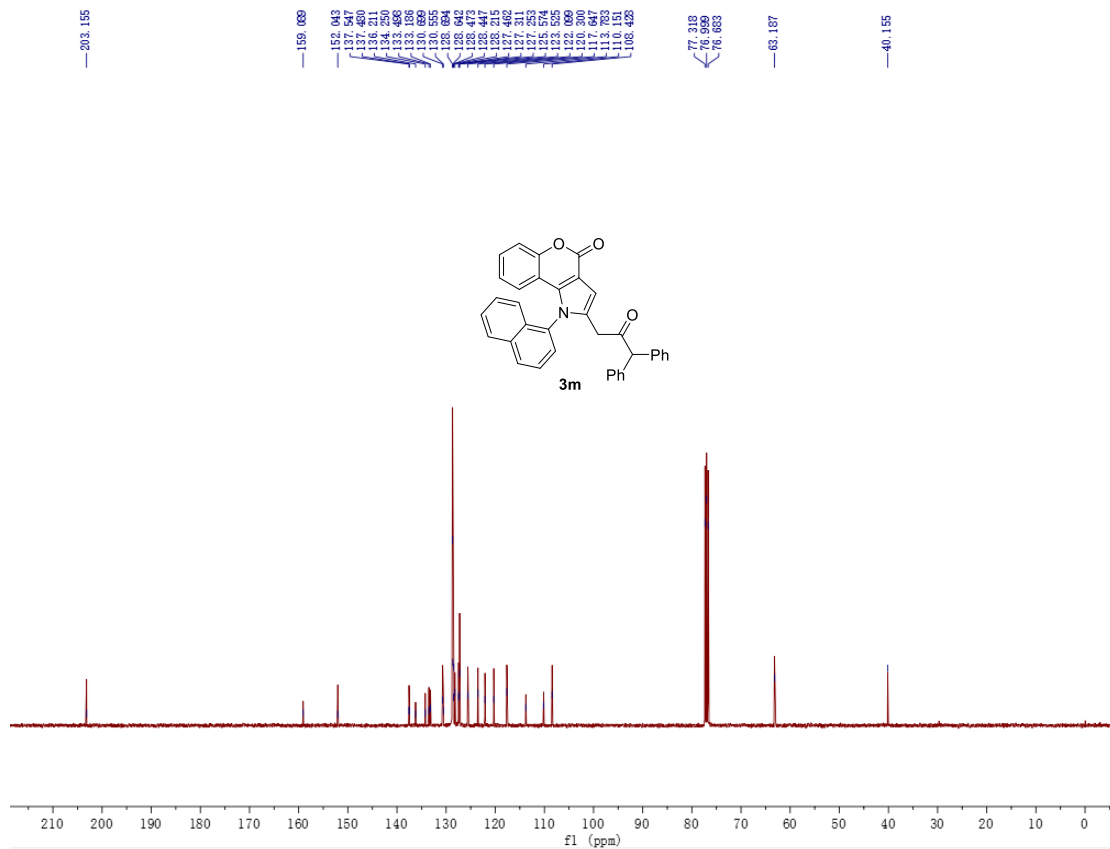
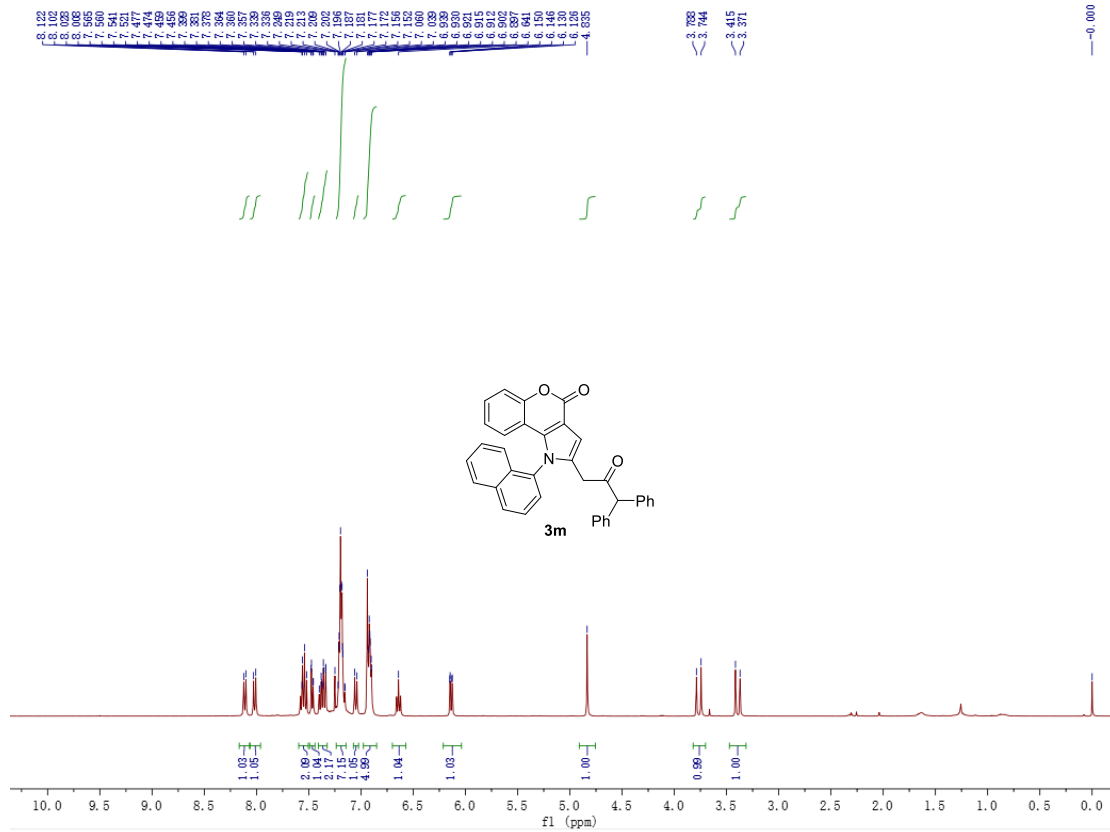




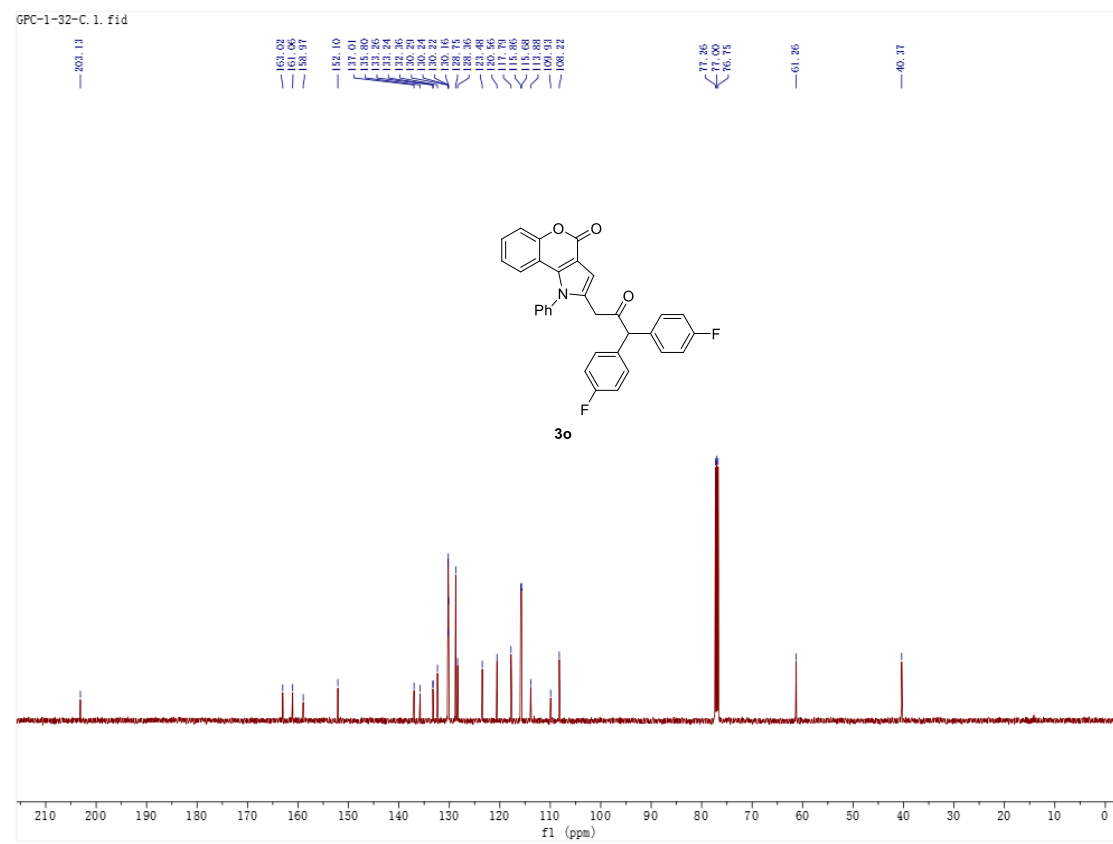
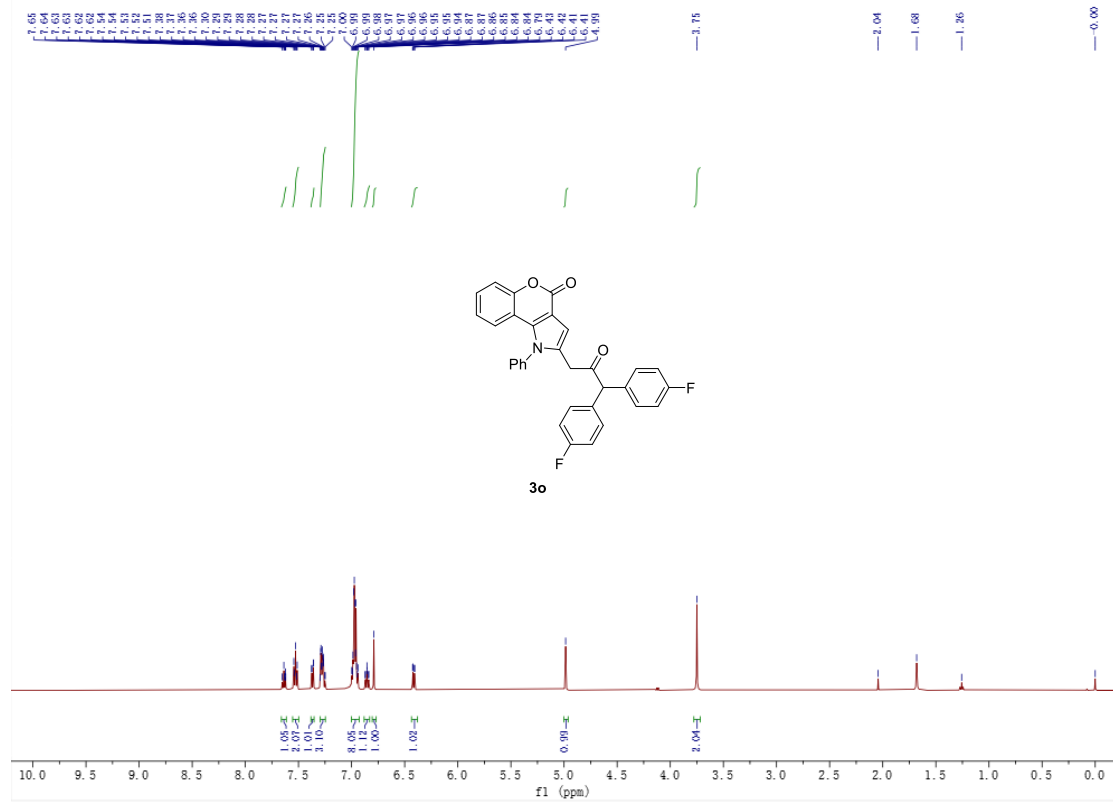


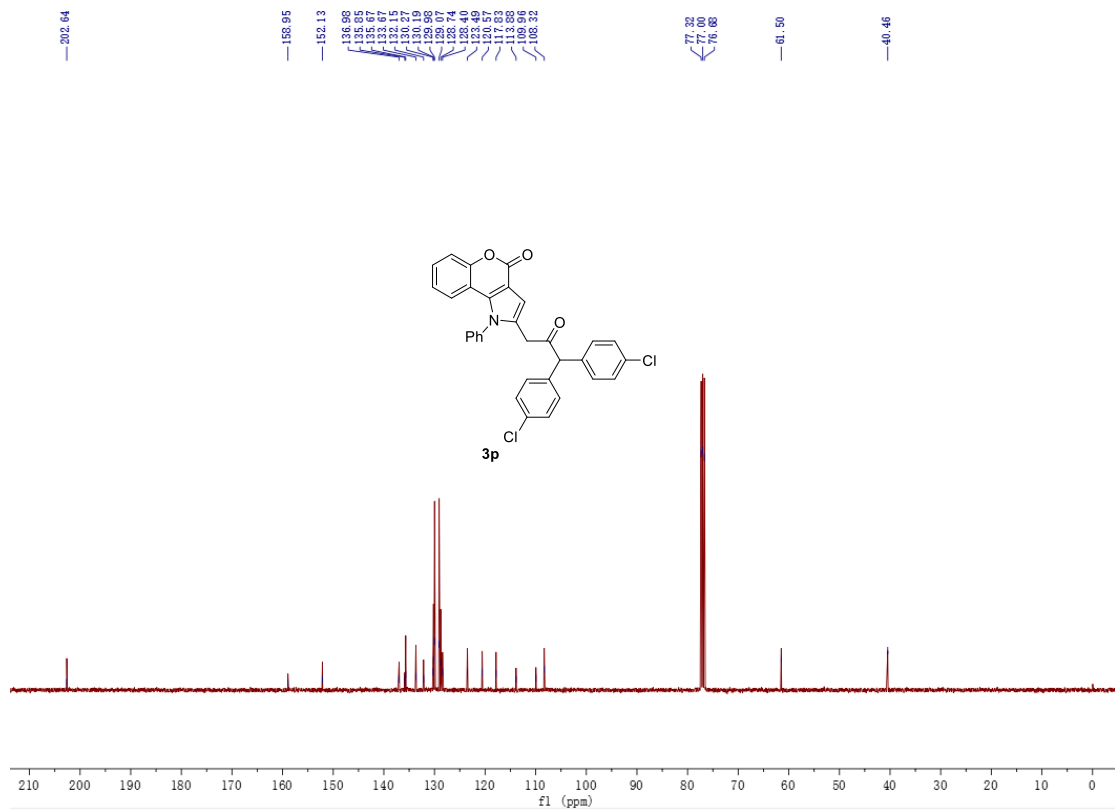
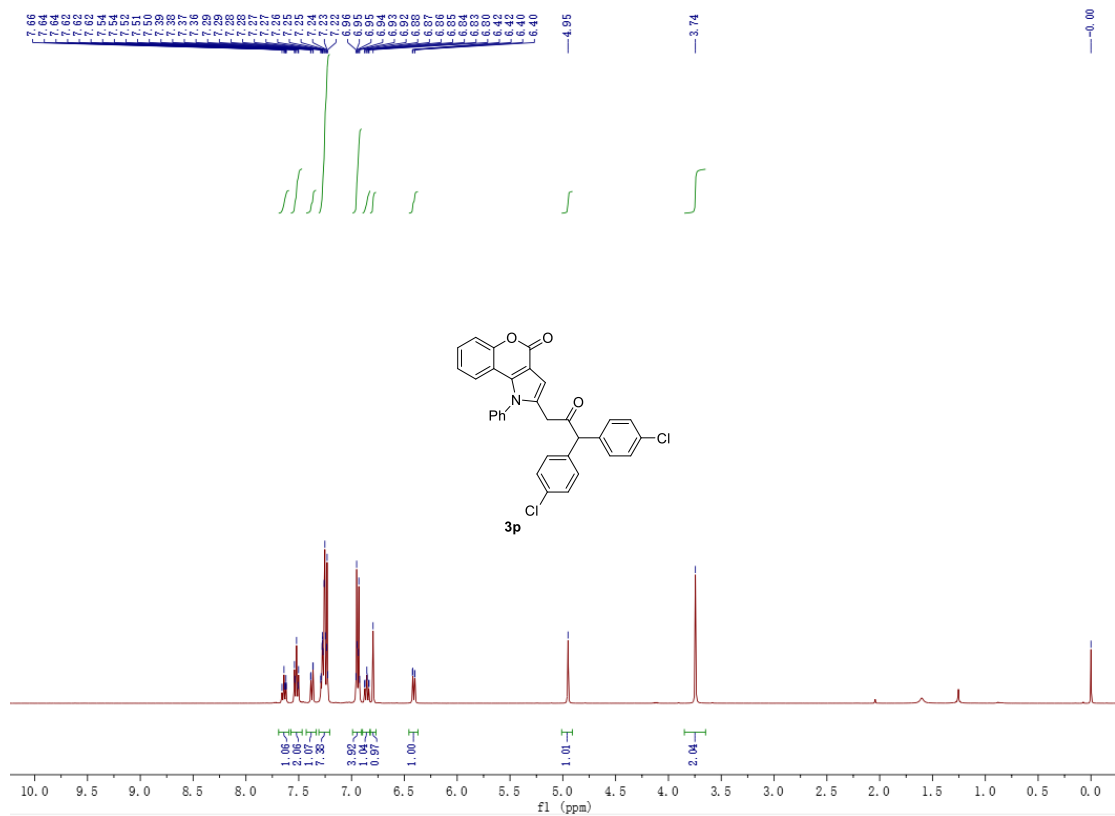




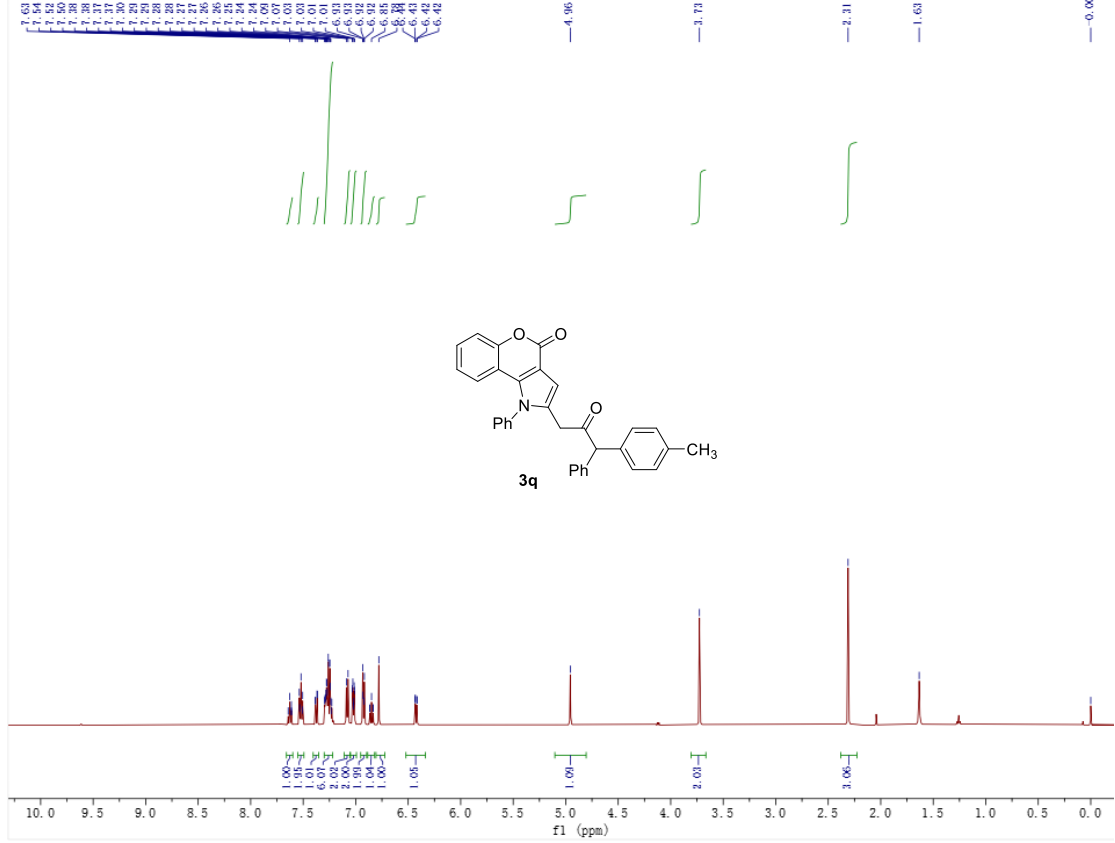








GPC-1-34-H.1.fid



GPC-1-34-C.2.fid

