

# Enantioselective iridium catalyzed $\alpha$ -alkylation of azlactones by a tandem asymmetric allylic alkylation/aza-Cope rearrangement

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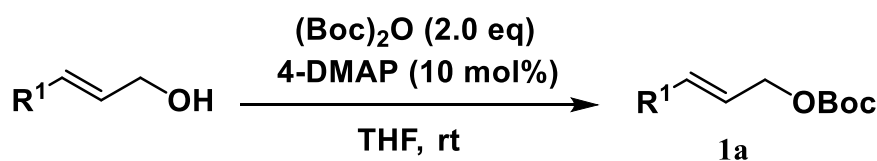
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## General Information

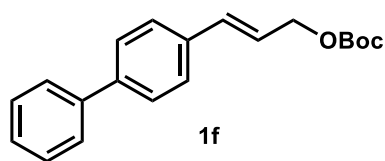
Unless otherwise noted, all starting materials were purchased from commercial sources and used without any further purification. The reactions were carried out in the glovebox unless otherwise stated. Toluene, 1,4-dioxane, DCM, and DME were obtained from commercial sources and anhydrous THF is gained from distilling apparatus. Chemicals were used as obtained from the suppliers. The analytical data for the known compounds were found to match with the literature data and stored at  $-30^{\circ}\text{C}$  under an inert atmosphere. TLC plates were visualized under UV light (254 nm) or by staining with phosphomolybdic acid or  $\text{KMnO}_4$  followed by heating. Abbreviations are reported as follows: EA = ethyl acetate, DCM = dichloromethane, DME = dimethoxyethane, THF = tetrahydrofuran, PE = petroleum ether.  $^1\text{H}$  NMR,  $^{19}\text{F}$  NMR and  $^{13}\text{C}$  NMR spectra were recorded on an AVANCE 500 Bruker spectrometer operating at 500 MHz, 470 MHz and 126 MHz in  $\text{CDCl}_3$ , respectively, and chemical shifts are reported in ppm. Multiplicities are reported using the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. High resolution mass spectral data were acquired on Agilent Technologies Accurate-Mass Q-TQF LC/MS 6520 operated by China Pharmaceutical University. Enantiomeric excess was determined on a Thermo Fisher UltiMate 3000 Chiral HPLC using AD, IG, IA column.

### General procedure A: The synthesis of allylic *tert*-butyl carbonates<sup>[1]</sup>

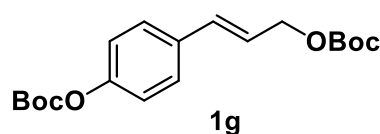


Under an argon atmosphere, to a flame-dried flask containing cinnamyl alcohol derivatives (10 mmol) and  $(\text{Boc})_2\text{O}$  (4.6 mL, 20 mmol) was added 30 mL of anhydrous THF followed by 4-DMAP (122 mg, 1.0 mmol). The resulting solution was stirred at room temperature overnight. The solution was then concentrated in vacuo. The crude products were purified by column chromatography over silica gel (PE: EA=10:1) to afford the title compounds. The characterizations of unreported

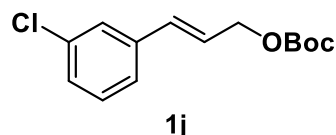
substrates are as following:



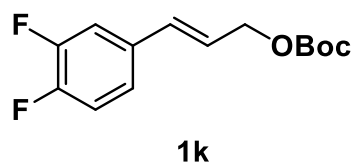
White solid.  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.62 – 7.57 (m, 4H), 7.49 – 7.43 (m, 4H), 7.37 – 7.34 (m, 1H), 6.72 (d,  $J = 15.9$  Hz, 1H), 6.36 (dtd,  $J = 15.8, 6.5, 1.9$  Hz, 1H), 4.76 (dd,  $J = 6.4, 1.5$  Hz, 2H), 1.53 (d,  $J = 2.1$  Hz, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  152.37, 139.83, 139.56, 134.22, 132.97, 127.81, 126.41, 126.28, 126.11, 125.95, 121.96, 81.26, 66.51, 26.82.



White solid.  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.39 – 7.37 (m, 2H), 7.13 – 7.12 (m, 2H), 6.64 (d,  $J = 15.9$  Hz, 1H), 6.24 (dt,  $J = 15.9, 6.4$  Hz, 1H), 4.70 (dd,  $J = 6.5, 1.3$  Hz, 2H), 1.55 (s, 9H), 1.50 (s, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  152.30, 150.71, 149.76, 132.87, 132.34, 126.56, 122.11, 120.39, 82.65, 81.28, 66.33, 26.78, 26.68.

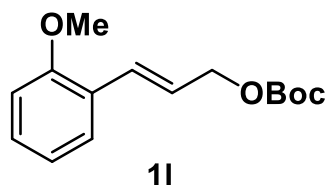


Colorless oil.  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.37 (d,  $J = 1.6$  Hz, 1H), 7.25 – 7.22 (m, 3H), 6.60 (dd,  $J = 15.9, 1.4$  Hz, 1H), 6.30 (dt,  $J = 15.9, 6.3$  Hz, 1H), 4.71 (dd,  $J = 6.3, 1.4$  Hz, 2H), 1.50 (s, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  152.26, 137.05, 133.54, 131.69, 128.81, 126.99, 125.57, 123.80, 123.52, 81.38, 66.02, 26.77.

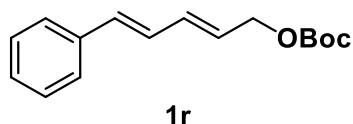


Colorless oil.  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.19 (ddd,  $J = 11.6, 7.7, 1.7$  Hz, 1H), 7.13 – 7.07 (m, 2H), 6.57 (d,  $J = 15.8$  Hz, 1H), 6.21 (dt,  $J = 16.0, 6.3$  Hz, 1H), 4.70 (dd,  $J = 6.3, 1.4$  Hz, 2H), 1.50 (s, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  152.24, 131.05 (d,  $J = 1.7$  Hz), 123.12 (d,

$J = 2.6$  Hz), 121.91 (d,  $J = 3.4$  Hz), 121.86 (d,  $J = 3.6$  Hz), 116.42, 116.28, 114.04, 113.90, 81.41, 65.91, 26.75.  $^{19}\text{F}$  NMR (470 MHz, Chloroform-*d*)  $\delta$  -137.68 (ddt,  $J = 21.5, 17.1, 7.5$  Hz), -138.20 – -138.30 (m).

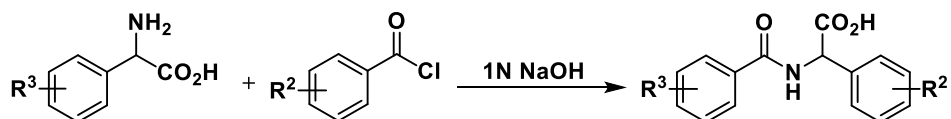


Yellow oil.  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.41 (dd,  $J = 7.6, 1.6$  Hz, 1H), 7.26 – 7.21 (m, 1H), 6.98 (d,  $J = 16.0$  Hz, 1H), 6.92 – 6.89 (m, 1H), 6.85 (d,  $J = 8.3$  Hz, 1H), 6.31 (dt,  $J = 16.0, 6.6$  Hz, 1H), 4.71 (dd,  $J = 6.6, 1.3$  Hz, 2H), 3.83 (s, 3H), 1.49 (s, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  155.89, 152.38, 145.73, 128.55, 128.13, 126.19, 122.46, 119.60, 109.82, 84.16, 67.09, 54.40, 26.40.

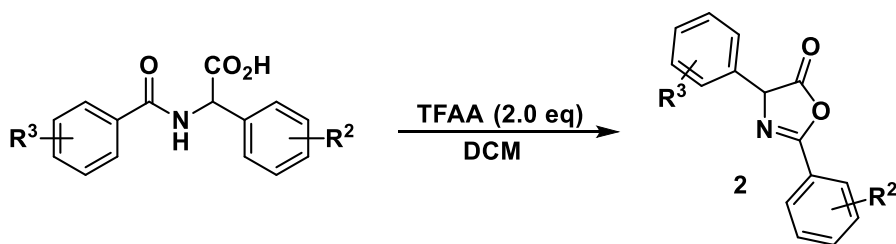


White solid.  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.44 – 7.40 (m, 2H), 7.32 (t,  $J = 7.6$  Hz, 2H), 7.27 – 7.22 (m, 1H), 6.78 (dd,  $J = 15.6, 10.5$  Hz, 1H), 6.59 (d,  $J = 15.7$  Hz, 1H), 6.47 (dd,  $J = 15.2, 10.5$  Hz, 1H), 5.89 (dt,  $J = 15.0, 6.5$  Hz, 1H), 4.65 (dd,  $J = 6.6, 1.2$  Hz, 2H), 1.51 (s, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  152.35, 135.89, 133.79, 132.89, 127.64, 126.84, 126.69, 125.58, 125.51, 81.18, 66.19, 26.80.

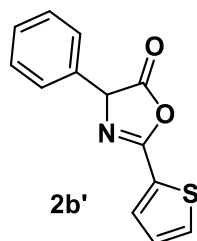
### General procedure B: The synthesis of racemic azlactones<sup>[2]</sup>



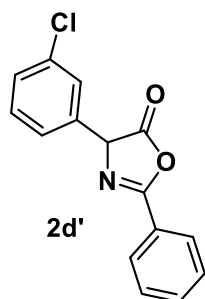
Arylglycine (10 mmol) was dissolved in 1N NaOH (30 mL). Then aroyl chloride (11 mmol) was added dropwise into the solution. After the addition was completed, the reaction mixture was allowed to stir at room temperature overnight, quenched with 2N HCl (20 mL\*2) and extracted by using EA (30 mL\*3). The organic layer was then dried over  $\text{Na}_2\text{SO}_4$  and concentrated in vacuo to yield a white solid. The products were used in the next step without further purification.



To a flame-dried flask was added the N-benzoyl-phenylglycine (1.27 g, 5 mmol) dissolved in DCM (20 mL). Then TFAA (0.7 mL, 5 mmol) was added and reaction mixture was allowed to stir under nitrogen at room temperature for a certain time. The reaction mixture was quenched with saturated NaHCO<sub>3</sub> solution (25 mL x 3). The product was then extracted using DCM, dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated in vacuo to yield the oxazolones. PS: The oxazolones could be purified by washing with hexane to some extent. The compounds **2w**, **2x**, **2y** and **2a'** were used directly without purification. The characterizations of unreported substrates are as following:

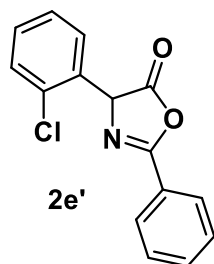


Yellow solid. <sup>1</sup>H NMR (500 MHz, Chloroform-*d*) δ 7.81 (dd, *J* = 3.8, 1.2 Hz, 1H), 7.65 (dd, *J* = 5.1, 1.3 Hz, 1H), 7.45 – 7.36 (m, 5H), 7.19 (dd, *J* = 5.1, 3.8 Hz, 1H), 5.50 (s, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*) δ 174.64, 157.42, 132.35, 131.44, 131.27, 128.01, 127.78, 127.20, 127.16, 125.85, 66.95. HRMS(ESI) *m/z*: calculated for [C<sub>13</sub>H<sub>9</sub>NO<sub>2</sub>S + H]<sup>+</sup> 244.0432, found 244.0425.



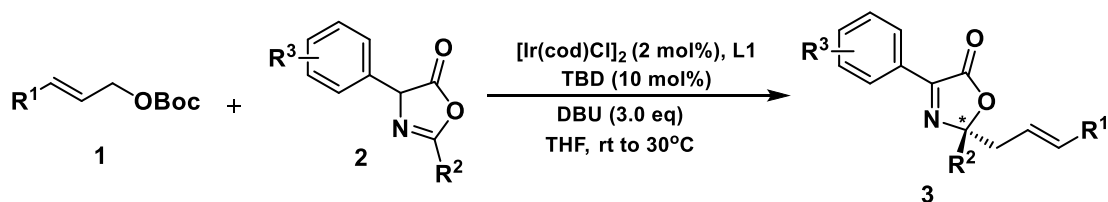
Yellow solid. <sup>1</sup>H NMR (500 MHz, Chloroform-*d*) δ 8.10 (d, *J* = 7.7 Hz, 2H), 7.63 (t, *J* = 7.4 Hz, 1H), 7.54 (t, *J* = 7.7 Hz, 2H), 7.46 (s, 1H), 7.42 – 7.33 (m, 3H), 5.50 (s, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*) δ 174.61, 161.95, 134.21, 133.92, 132.28,

129.95, 127.93, 127.19, 125.92, 124.43, 124.00, 66.33. HRMS(ESI)  $m/z$ : calculated for  $[C_{15}H_{10}ClNO_2 + H]^+$  272.0478, found 272.0479.



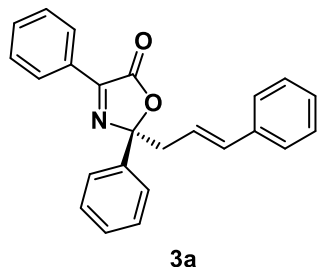
Yellow solid.  $^1H$  NMR (500 MHz, Chloroform- $d$ )  $\delta$  8.09 (d,  $J = 7.7$  Hz, 2H), 7.62 (t,  $J = 7.5$  Hz, 1H), 7.53 (t,  $J = 7.7$  Hz, 2H), 7.47 (d,  $J = 7.9$  Hz, 1H), 7.34 (td,  $J = 7.6, 1.9$  Hz, 1H), 7.30 – 7.24 (m, 2H), 5.89 (s, 1H).  $^{13}C$  NMR (126 MHz, Chloroform- $d$ )  $\delta$  174.22, 162.28, 133.09, 132.19, 130.46, 129.47, 129.36, 128.68, 127.92, 127.14, 126.40, 124.53, 66.07. HRMS(ESI)  $m/z$ : calculated for  $[C_{15}H_{10}ClNO_2 + H]^+$  272.0478, found 272.0473.

### General procedure C: Enantioselective $\alpha$ -alkylation of oxazolones by iridium catalysis

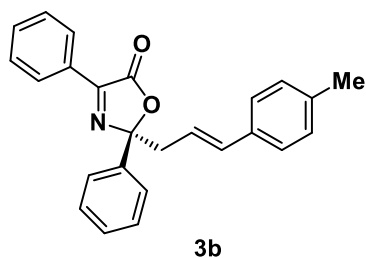


The reaction was carried out in the glovebox under argon atmosphere.  $[Ir(cod)Cl]_2$  (1.4 mg, 0.002 mmol), **L1** (2.5 mg, 0.004 mmol), and TBD (1.4 mg, 0.01 mmol) were added to a 2 dram scintillation vial (vial **A**) equipped with a magnetic stirring bar. The vial **A** was then charged with THF (0.5 mL) and stirred at 30°C for 30 min. To another 2 dram scintillation vial (vial **B**) was added *tert*-butyl cinnamyl carbonates (0.1 mmol), 2,4-diphenyloxazol-5(4*H*)-one (0.2 mmol), DBU (0.3 mmol) and THF (0.5 mL). The pre-formed catalyst solution (vial **A**) was then transferred to vial **B**. The vial **B** was sealed and stirred at room temperature or 30°C for a certain time. Upon completion of the reaction, the vial **B** was removed from the glovebox and uncapped. Saturated  $NH_4Cl$  aqueous solution was added and the mixture was extracted with DCM (10 mL x 3). The combined organic phase was washed with brine, dried over  $Na_2SO_4$ , filtered and concentrated in vacuo. The residue was purified

by column chromatography over silica gel to afford the desired products. (PS: No desired products were obtained using allylic carbonates bearing a -Me group at  $\alpha$  or  $\beta$  position.)

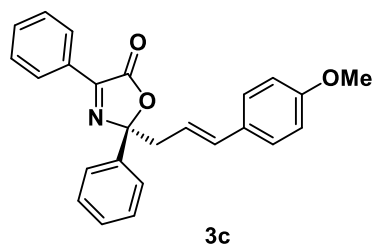


Following the general procedure **C**, **3a** was obtained as yellow solid (29 mg, 82% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.44 (dt,  $J = 7.3, 1.4$  Hz, 2H), 7.73 – 7.71 (m, 2H), 7.60 – 7.56 (m, 1H), 7.52 – 7.49 (m, 2H), 7.45 (dd,  $J = 8.3, 6.5$  Hz, 2H), 7.42 – 7.38 (m, 1H), 7.28 (d,  $J = 3.6$  Hz, 4H), 7.24 – 7.21 (m, 1H), 6.48 (dt,  $J = 15.9, 1.4$  Hz, 1H), 6.01 (dt,  $J = 15.8, 7.4$  Hz, 1H), 3.18 (qdd,  $J = 14.2, 7.4, 1.3$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.98, 155.22, 137.62, 135.73, 135.37, 131.53, 127.87, 127.74, 127.56, 127.50, 127.48, 126.63, 125.30, 125.01, 119.64, 105.14, 44.13. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 6.8$  min (major),  $t_r = 8.9$  min (minor), ee = 92%.

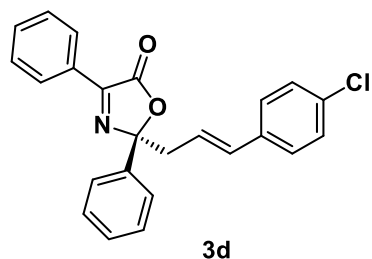


Following the general procedure **C**, **3b** was obtained as yellow oil (32 mg, 86% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.44 – 8.42 (m, 2H), 7.72 – 7.70 (m, 2H), 7.59 – 7.55 (m, 1H), 7.50 (dd,  $J = 8.3, 6.9$  Hz, 2H), 7.46 – 7.43 (m, 2H), 7.41 – 7.37 (m, 1H), 7.17 (d,  $J = 7.9$  Hz, 2H), 7.08 (d,  $J = 7.8$  Hz, 2H), 6.44 (d,  $J = 15.8$  Hz, 1H), 5.94 (dt,  $J = 15.3, 7.4$  Hz, 1H), 3.16 (qdd,  $J = 14.1, 7.4, 1.3$  Hz, 2H), 2.32 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.01, 155.21, 137.69, 136.49, 135.29, 132.99, 131.52, 128.19, 127.85, 127.76, 127.75, 127.74, 127.55, 125.22, 125.03, 118.53, 105.21, 44.15, 20.19. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{25}\text{H}_{21}\text{NO}_2 + \text{Na}]^+$  390.1470,

found 390.1476. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 6.0 min (major),  $t_r$  = 6.9 min (minor), ee = 91%.

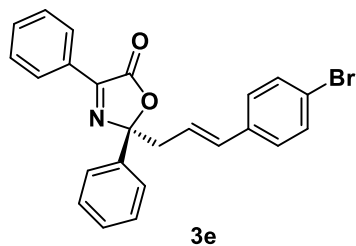


Following the general procedure **C**, **3c** was obtained as white solid (34 mg, 89% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.46 – 8.44 (m, 2H), 7.75 – 7.73 (m, 2H), 7.63 – 7.60 (m, 1H), 7.55 – 7.52 (m, 2H), 7.48 (t,  $J$  = 7.8 Hz, 2H), 7.44 – 7.41 (m, 1H), 7.24 (d,  $J$  = 8.2 Hz, 2H), 6.85 – 6.84 (m, 2H), 6.44 (d,  $J$  = 15.8 Hz, 1H), 5.87 (dt,  $J$  = 15.3, 7.3 Hz, 1H), 3.83 (d,  $J$  = 1.2 Hz, 3H), 3.18 (qd,  $J$  = 14.2, 7.3 Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.03, 158.23, 155.21, 137.71, 134.85, 131.51, 128.58, 127.84, 127.74, 127.74, 127.73, 127.55, 126.48, 125.02, 117.26, 112.90, 105.24, 54.26, 44.14. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 9.5 min (major),  $t_r$  = 11.8 min (minor), ee = 84%.

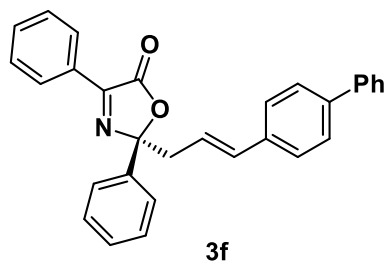


Following the general procedure **C**, **3d** was obtained as white solid (25 mg, 66% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.40 – 8.38 (m, 2H), 7.68 – 7.66 (m, 2H), 7.57 (t,  $J$  = 7.4 Hz, 1H), 7.49 (t,  $J$  = 7.7 Hz, 2H), 7.41 – 7.36 (m, 3H), 7.21 (d,  $J$  = 8.5 Hz, 2H), 7.15 (d,  $J$  = 8.4 Hz, 2H), 6.38 (d,  $J$  = 15.9 Hz, 1H), 5.94 (dt,  $J$  = 15.4, 7.4 Hz, 1H), 3.18 – 3.07 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.93, 155.24, 137.49, 134.16, 134.15, 132.30, 131.61, 127.93, 127.77, 127.72, 127.66, 127.58, 127.43, 126.48, 124.98, 120.41, 105.03, 44.05. HPLC data (Chiralpak IA column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 6.7 min (major),  $t_r$  = 7.6 min (minor), ee = 86%.

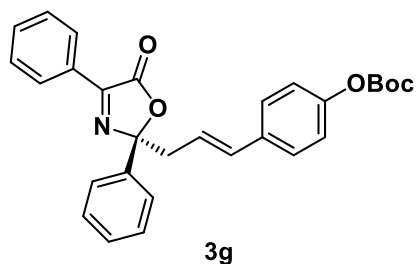




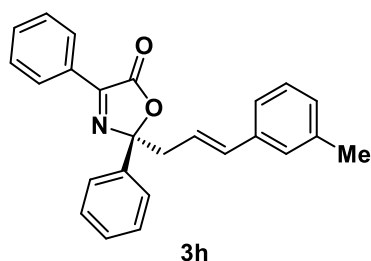
Following the general procedure **C**, **3e** was obtained as yellow solid (26 mg, 60% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.39 – 8.37 (m, 2H), 7.67 – 7.65 (m, 2H), 7.56 (s, 1H), 7.48 (dd,  $J = 8.4, 7.0$  Hz, 2H), 7.41 – 7.40 (m, 2H), 7.37 (dd,  $J = 7.9, 6.5$  Hz, 3H), 7.10 – 7.08 (m, 2H), 6.34 (s, 1H), 5.95 (dt,  $J = 15.4, 7.4$  Hz, 1H), 3.17 – 3.06 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.93, 155.24, 137.48, 134.60, 134.20, 131.63, 130.61, 127.94, 127.78, 127.72, 127.59, 127.42, 126.81, 124.98, 120.56, 120.47, 105.00, 44.06. HPLC data (Chiralpak AD column, hexane : isopropanol = 98 : 2, 1.0 mL/min),  $t_r = 18.4$  min (major),  $t_r = 21.2$  min (minor), ee = 87%.



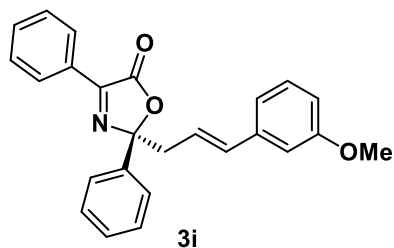
Following the general procedure **C**, **3f** was obtained as white solid (28 mg, 65% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.43 – 8.41 (m, 2H), 7.72 – 7.69 (m, 2H), 7.57 (ddd,  $J = 7.2, 3.5, 1.5$  Hz, 3H), 7.51 – 7.48 (m, 4H), 7.44 (td,  $J = 7.9, 7.4, 3.5$  Hz, 4H), 7.41 – 7.38 (m, 1H), 7.34 (dd,  $J = 10.6, 7.8$  Hz, 3H), 6.49 (d,  $J = 15.8$  Hz, 1H), 6.06 – 6.00 (m, 1H), 3.18 (qdd,  $J = 14.2, 7.4, 1.3$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.99, 155.25, 139.63, 139.43, 137.63, 134.94, 134.76, 131.57, 127.90, 127.77, 127.59, 127.53, 126.31, 126.21, 125.92, 125.74, 125.04, 119.76, 105.16, 44.21. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{30}\text{H}_{23}\text{NO}_2 + \text{H}]^+$  430.1807, found 430.1797. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 10.1$  min (major),  $t_r = 11.1$  min (minor), ee = 92%.



Following the general procedure **C**, **3g** was obtained as white solid (27 mg, 58% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.41 – 8.39 (m, 2H), 7.68 – 7.66 (m, 2H), 7.58 – 7.54 (m, 1H), 7.49 (dd,  $J = 8.3, 6.9$  Hz, 2H), 7.43 – 7.40 (m, 2H), 7.39 – 7.35 (m, 1H), 7.24 – 7.22 (m, 2H), 7.07 – 7.04 (m, 2H), 6.41 (d,  $J = 15.8$  Hz, 1H), 5.92 (dt,  $J = 15.8, 7.4$  Hz, 1H), 1.55 (s, 9H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.93, 155.21, 150.78, 149.44, 137.55, 134.33, 133.44, 131.57, 127.89, 127.76, 127.73, 127.56, 127.46, 126.20, 125.00, 120.31, 119.95, 105.09, 82.61, 44.10, 26.68. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{29}\text{H}_{27}\text{NO}_5 + \text{H}]^+$  470.1967, found 470.1965. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 11.7$  min (minor),  $t_r = 12.3$  min (major), ee = 87%.

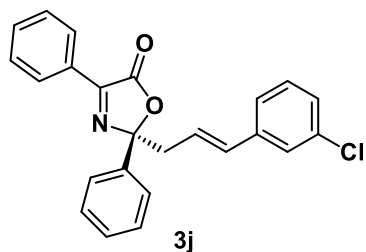


Following the general procedure **C**, **3h** was obtained as yellow solid (16 mg, 44% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.40 – 8.38 (m, 2H), 7.68 – 7.66 (m, 2H), 7.55 (t,  $J = 7.6$  Hz, 1H), 7.48 (t,  $J = 7.6$  Hz, 2H), 7.43 – 7.35 (m, 3H), 7.13 (t,  $J = 7.6$  Hz, 1H), 7.04 – 7.00 (m, 3H), 6.40 (d,  $J = 15.8$  Hz, 1H), 5.94 (dt,  $J = 15.4, 7.4$  Hz, 1H), 3.12 (dt,  $J = 14.3, 7.3$  Hz, 2H), 2.28 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.99, 155.20, 137.64, 137.03, 135.71, 135.68, 135.48, 131.51, 127.85, 127.74, 127.73, 127.54, 127.42, 127.36, 122.42, 119.39, 105.17, 44.14, 20.33. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 6.1$  min (major),  $t_r = 8.3$  min (minor), ee = 91%.



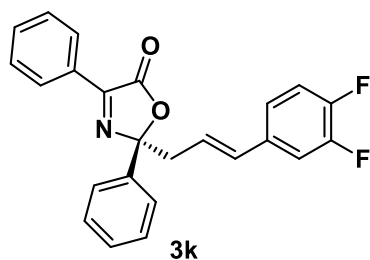
Following the general procedure **C**, **3i** was obtained as yellow oil (32 mg, 84% yield).

$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.47 – 8.44 (m, 2H), 7.75 – 7.72 (m, 2H), 7.61 (td,  $J = 7.1, 1.4$  Hz, 1H), 7.54 (t,  $J = 7.7$  Hz, 2H), 7.49 – 7.41 (m, 3H), 7.22 (td,  $J = 7.6, 1.1$  Hz, 1H), 6.89 (dd,  $J = 7.6, 1.3$  Hz, 1H), 6.82 – 6.80 (m, 2H), 6.47 (d,  $J = 15.8$  Hz, 1H), 6.05 – 5.99 (m, 1H), 3.80 (s, 3H), 3.19 (qdd,  $J = 14.2, 7.4, 1.2$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  164.01, 159.72, 156.27, 138.64, 138.25, 136.34, 136.34, 132.59, 129.51, 128.93, 128.79, 128.61, 128.56, 126.06, 121.10, 119.00, 113.32, 111.71, 106.16, 55.19, 45.12. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{25}\text{H}_{21}\text{NO}_3 + \text{Na}]^+$  406.1419, found 406.1418. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 8.5$  min (major),  $t_r = 12.3$  min (minor), ee = 94%.

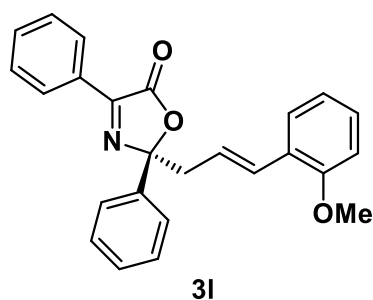


Following the general procedure **C**, **3j** was obtained as yellow solid (33 mg, 85% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.46 (d,  $J = 7.7$  Hz, 2H), 7.73 (d,  $J = 7.6$  Hz, 2H), 7.62 (t,  $J = 7.3$  Hz, 1H), 7.54 (t,  $J = 7.6$  Hz, 2H), 7.48 (t,  $J = 7.4$  Hz, 2H), 7.43 (t,  $J = 7.3$  Hz, 1H), 7.27 (s, 1H), 7.22 (d,  $J = 5.1$  Hz, 2H), 7.15 (dd,  $J = 6.7, 2.7$  Hz, 1H), 6.42 (d,  $J = 15.8$  Hz, 1H), 6.04 (dt,  $J = 15.3, 7.4$  Hz, 1H), 3.24 – 3.13 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.92, 155.24, 137.53, 137.44, 134.00, 133.42, 131.64, 128.73, 127.96, 127.79, 127.75, 127.61, 127.43, 126.62, 125.26, 125.00, 123.47, 121.39, 105.01, 44.08. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{18}\text{ClNO}_2 + \text{H}]^+$  388.1104, found 388.1101. HPLC data (Chiralpak AD column, hexane :

isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 7.5 min (major),  $t_r$  = 9.5 min (minor), ee = 90%.

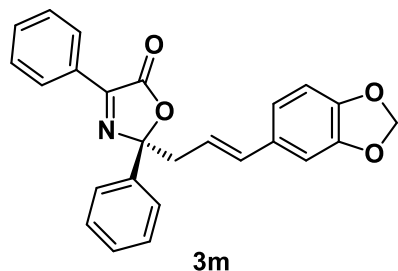


Following the general procedure **C**, **3k** was obtained as yellow solid (20 mg, 52% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.45 (d,  $J$  = 7.8 Hz, 2H), 7.72 (d,  $J$  = 7.6 Hz, 2H), 7.62 (t,  $J$  = 7.4 Hz, 1H), 7.54 (t,  $J$  = 7.6 Hz, 2H), 7.46 (dt,  $J$  = 15.3, 7.2 Hz, 3H), 7.08 (td,  $J$  = 9.1, 5.5 Hz, 2H), 6.98 (t,  $J$  = 6.2 Hz, 1H), 6.39 (d,  $J$  = 15.8 Hz, 1H), 5.93 (dt,  $J$  = 15.3, 7.4 Hz, 1H), 3.23 – 3.12 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.89, 155.26, 137.41, 133.30, 131.66, 127.97, 127.79, 127.72, 127.61, 127.40, 124.97, 121.49 (d,  $J$  = 3.5 Hz), 121.44 (d,  $J$  = 3.4 Hz), 120.94, 116.31, 116.17, 113.70, 113.56, 104.95, 43.92.  $^{19}\text{F}$  NMR (470 MHz, Chloroform-*d*)  $\delta$  -137.87 (ddd,  $J$  = 20.2, 11.5, 8.3 Hz), -138.82 – -138.91 (m). HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{17}\text{F}_2\text{NO}_2 + \text{H}]^+$  390.1306, found 390.1301. HPLC data (Chiralpak IG column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 8.7 min (major),  $t_r$  = 10.1 min (minor), ee = 91%.

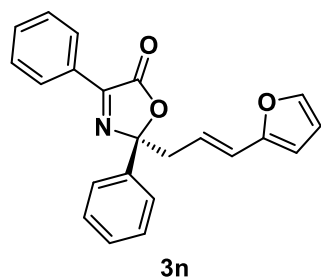


Following the general procedure **C**, **3l** was obtained as yellow oil (17 mg, 44% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.42 – 8.39 (m, 2H), 7.71 – 7.68 (m, 2H), 7.57 – 7.54 (m, 1H), 7.50 – 7.47 (m, 2H), 7.44 – 7.40 (m, 2H), 7.39 – 7.36 (m, 1H), 7.24 – 7.22 (m, 1H), 7.18 (td,  $J$  = 7.8, 1.7 Hz, 1H), 6.85 (td,  $J$  = 7.5, 1.0 Hz, 1H), 6.80 (dd,  $J$  = 8.3, 1.0 Hz, 1H), 6.74 (d,  $J$  = 16.0 Hz, 1H), 5.96 (dt,  $J$  = 15.9, 7.4 Hz, 1H), 3.73 (s, 3H), 3.22 – 3.13 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.05, 155.60,

155.23, 137.80, 131.42, 130.49, 127.79, 127.75, 127.68, 127.63, 127.61, 127.52, 126.04, 125.01, 124.93, 120.38, 119.54, 109.78, 105.23, 54.31, 44.40. HRMS(ESI)  $m/z$ : calculated for  $[C_{25}H_{21}NO_3 + H]^+$  384.1600, found 384.1592. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 8.2 min (major),  $t_r$  = 13.3 min (minor), ee = 83%.

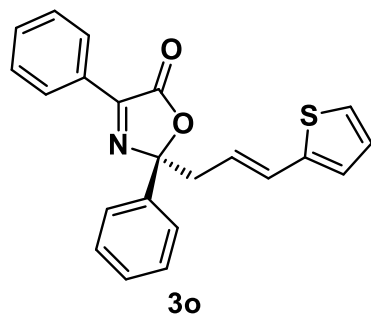


Following the general procedure **C**, **3m** was obtained as yellow oil (32 mg, 81% yield).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.46 – 8.44 (m, 2H), 7.74 – 7.72 (m, 2H), 7.63 – 7.59 (m, 1H), 7.54 (t,  $J$  = 7.7 Hz, 2H), 7.49 – 7.46 (m, 2H), 7.44 – 7.42 (m, 1H), 6.82 (d,  $J$  = 1.4 Hz, 1H), 6.75 – 6.71 (m, 2H), 6.39 (d,  $J$  = 15.8 Hz, 1H), 5.96 (s, 2H), 5.84 (dt,  $J$  = 15.7, 7.4 Hz, 1H), 3.16 (qdd,  $J$  = 14.1, 7.3, 1.2 Hz, 2H).  $^{13}C$  NMR (126 MHz, Chloroform-*d*)  $\delta$  164.02, 156.26, 147.94, 147.30, 136.01, 132.57, 131.26, 128.90, 128.79, 128.77, 128.60, 128.56, 128.51, 126.05, 121.05, 118.78, 108.24, 106.20, 105.62, 101.06, 45.08. HRMS(ESI)  $m/z$ : calculated for  $[C_{25}H_{19}NO_4 + H]^+$  398.1392, found 398.1391. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 11.2 min (major),  $t_r$  = 13.6 min (minor), ee = 90%.

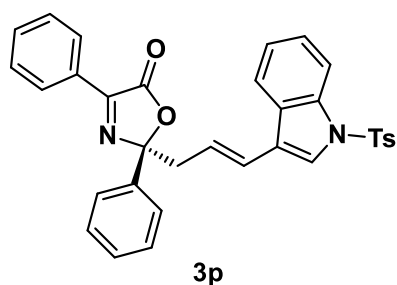


Following the general procedure **C**, **3n** was obtained as yellow oil (26 mg, 76% yield).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.42 – 8.40 (m, 2H), 7.68 – 7.66 (m, 2H), 7.58 – 7.55 (m, 1H), 7.50 – 7.47 (m, 2H), 7.44 – 7.36 (m, 4H), 6.31 (dd,  $J$  = 3.4, 1.8 Hz, 1H), 6.25 (d,  $J$  = 15.8 Hz, 1H), 6.14 (d,  $J$  = 3.4 Hz, 1H), 5.90 (dt,  $J$  = 15.5, 7.5 Hz, 1H), 3.16 – 3.07 (m, 2H).  $^{13}C$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.98, 156.27,

152.18, 142.00, 138.65, 132.54, 128.90, 128.82, 128.80, 128.75, 128.58, 126.04, 124.40, 119.22, 111.15, 107.93, 106.05, 45.04. HRMS(ESI)  $m/z$ : calculated for  $[C_{22}H_{17}NO_3 + H]^+$  344.1287, found 344.1280. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 7.9 min (major),  $t_r$  = 11.4 min (minor), ee = 83%.

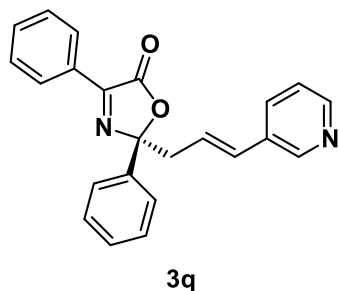


Following the general procedure **C**, **3o** was obtained as yellow oil (28 mg, 78% yield).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.41 (d,  $J$  = 7.7 Hz, 2H), 7.69 (dd,  $J$  = 7.2, 1.5 Hz, 2H), 7.59 – 7.55 (m, 1H), 7.50 (dd,  $J$  = 8.4, 6.9 Hz, 2H), 7.43 (t,  $J$  = 7.2 Hz, 2H), 7.40 – 7.36 (m, 1H), 7.09 (d,  $J$  = 5.1 Hz, 1H), 6.91 (dd,  $J$  = 5.1, 3.5 Hz, 1H), 6.86 (d,  $J$  = 3.5 Hz, 1H), 6.56 (d,  $J$  = 15.7 Hz, 1H), 5.82 (dt,  $J$  = 15.3, 7.4 Hz, 1H), 3.11 (qd,  $J$  = 14.3, 7.4 Hz, 2H).  $^{13}C$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.94, 155.28, 140.67, 137.50, 131.56, 128.30, 127.91, 127.81, 127.75, 127.57, 127.49, 126.29, 125.01, 124.67, 123.31, 119.25, 105.04, 44.02. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 8.1 min (major),  $t_r$  = 10.8 min (minor), ee = 91%.

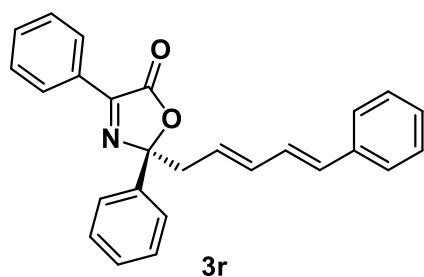


Following the general procedure **C**, **3p** was obtained as red oil (30 mg, 55% yield).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.45 (d,  $J$  = 7.7 Hz, 2H), 7.99 (d,  $J$  = 8.4 Hz, 1H), 7.76 (t,  $J$  = 8.9 Hz, 4H), 7.62 (t,  $J$  = 7.6 Hz, 1H), 7.55 – 7.50 (m, 4H), 7.48 – 7.40 (m, 3H), 7.35 – 7.31 (m, 1H), 7.24 (d,  $J$  = 8.1 Hz, 2H), 7.19 (t,  $J$  = 7.6 Hz, 1H), 6.53 (d,  $J$  = 16.0 Hz, 1H), 6.06 (dt,  $J$  = 15.5, 7.3 Hz, 1H), 3.21 (qd,  $J$  = 14.2, 7.3 Hz, 2H), 2.38

(s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.98, 155.29, 144.02, 137.51, 134.33, 133.98, 131.61, 128.91, 127.95, 127.80, 127.78, 127.74, 127.61, 127.46, 126.02, 125.82, 125.02, 123.85, 122.95, 122.45, 121.25, 119.28, 118.99, 112.66, 105.08, 44.55, 20.58. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{33}\text{H}_{26}\text{N}_2\text{O}_4\text{S} + \text{H}]^+$  547.1692, found 547.1687. HPLC data (Chiralpak AD column, hexane : isopropanol = 70 : 30, 1.0 mL/min),  $t_r$  = 16.3 min (major),  $t_r$  = 23.9 min (minor), ee = 88%.

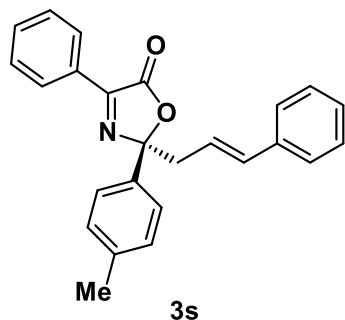


Following the general procedure C, **3q** was obtained as yellow solid (23 mg, 65% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.45 – 8.38 (m, 4H), 7.68 – 7.66 (m, 2H), 7.58 – 7.52 (m, 2H), 7.48 (t,  $J$  = 7.6 Hz, 2H), 7.44 – 7.36 (m, 3H), 7.17 (dd,  $J$  = 8.0, 4.8 Hz, 1H), 6.42 (d,  $J$  = 15.9 Hz, 1H), 6.04 (dt,  $J$  = 15.5, 7.3 Hz, 1H), 3.21 – 3.10 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.87, 155.27, 147.72, 147.12, 137.38, 131.78, 131.68, 131.28, 127.99, 127.79, 127.72, 127.62, 127.37, 124.97, 122.41, 122.33, 104.91, 44.12. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{23}\text{H}_{18}\text{N}_2\text{O}_2 + \text{H}]^+$  355.1447, found 355.1455. HPLC data (Chiralpak AD column, hexane : isopropanol = 85 : 15, 1.0 mL/min),  $t_r$  = 13.5 min (major),  $t_r$  = 17.0 min (minor), ee = 89%.

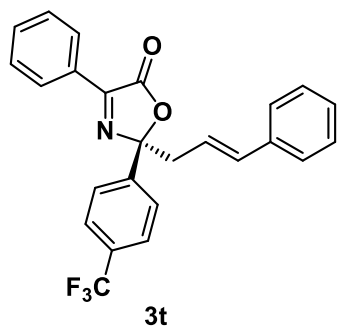


Following the general procedure C, **3r** was obtained as yellow solid (30 mg, 79% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.43 – 8.41 (m, 2H), 7.68 – 7.67 (m, 2H), 7.59 – 7.55 (m, 1H), 7.50 (t,  $J$  = 7.6 Hz, 2H), 7.43 (dd,  $J$  = 8.1, 6.5 Hz, 2H), 7.40 – 7.38 (m, 1H), 7.34 (d,  $J$  = 7.3 Hz, 2H), 7.29 (t,  $J$  = 7.5 Hz, 2H), 7.21 (t,  $J$  = 7.2 Hz, 1H), 6.65 (dd,  $J$  = 15.7, 10.4 Hz, 1H), 6.45 (d,  $J$  = 15.7 Hz, 1H), 6.26 (dd,  $J$  = 15.2,

10.4 Hz, 1H), 5.54 (dt,  $J = 15.0, 7.5$  Hz, 1H), 3.14 – 3.05 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.98, 155.20, 137.67, 136.02, 135.87, 131.57, 131.56, 127.88, 127.76, 127.56, 127.52, 127.15, 126.58, 125.37, 124.98, 123.41, 105.07, 43.94. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{26}\text{H}_{21}\text{NO}_2 + \text{Na}]^+$  402.1470, found 402.1466. HPLC data (Chiralpak AD column, hexane : isopropanol = 95 : 5, 1.0 mL/min),  $t_r = 11.3$  min (major),  $t_r = 14.0$  min (minor), ee = 78%.



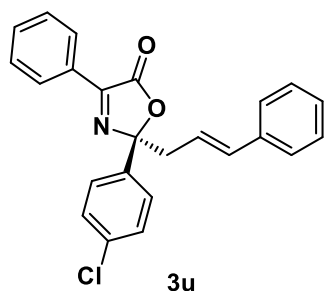
Following the general procedure **C**, **3s** was obtained as colorless oil (26 mg, 71% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.47 – 8.44 (m, 2H), 7.63 – 7.59 (m, 3H), 7.54 (t,  $J = 7.7$  Hz, 2H), 7.33 – 7.29 (m, 5H), 7.27 – 7.24 (m, 2H), 6.51 (d,  $J = 15.9$  Hz, 1H), 6.03 (dt,  $J = 15.9, 7.3$  Hz, 1H), 3.20 (ddd,  $J = 15.7, 7.4, 1.3$  Hz, 2H), 2.43 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  164.10, 156.18, 138.83, 136.84, 136.29, 135.75, 132.52, 129.27, 128.77, 128.77, 128.63, 128.53, 127.65, 126.36, 125.96, 120.87, 106.28, 45.10. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 7.9$  min (major),  $t_r = 10.4$  min (minor), ee = 84%.



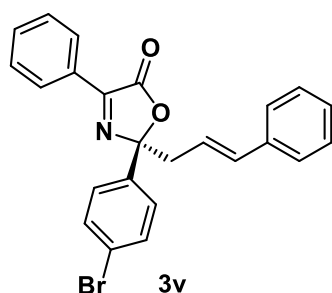
Following the general procedure **C**, **3t** was obtained as yellow oil (18 mg, 52% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.47 – 8.44 (m, 2H), 7.88 (d,  $J = 8.2$  Hz, 2H), 7.74 (d,  $J = 8.2$  Hz, 2H), 7.65 – 7.61 (m, 1H), 7.55 (dd,  $J = 8.4, 6.9$  Hz, 2H), 7.34 – 7.25 (m, 5H), 6.49 (d,  $J = 15.9$  Hz, 1H), 6.01 (dt,  $J = 15.4, 7.4$  Hz, 1H), 3.18 (qdd,  $J = 14.3, 7.4, 1.2$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.63, 156.58, 142.39



(d,  $J = 1.3$  Hz), 136.94, 136.55, 132.87, 130.99, 128.87, 128.83, 128.58, 128.26, 127.86, 126.61, 126.36, 125.61 (q,  $J = 3.8$  Hz), 123.86 (d,  $J = 272.1$  Hz), 119.98, 105.45, 45.22.  $^{19}\text{F}$  NMR (470 MHz, Chloroform-*d*)  $\delta$  -62.70 (d,  $J = 5.2$  Hz). HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 5.8$  min (major),  $t_r = 7.0$  min (minor), ee = 84%.

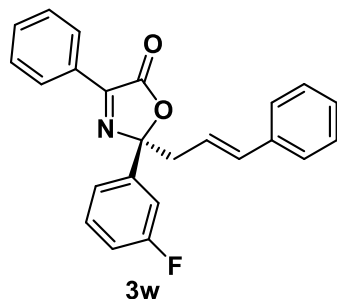


Following the general procedure **C**, **3u** was obtained as yellow oil (24 mg, 62% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.40 – 8.38 (m, 2H), 7.62 – 7.61 (m, 2H), 7.56 (d,  $J = 7.4$  Hz, 1H), 7.49 (dd,  $J = 8.4, 7.0$  Hz, 2H), 7.40 – 7.38 (m, 2H), 7.27 – 7.21 (m, 5H), 6.43 (d,  $J = 15.8$  Hz, 1H), 5.95 (dt,  $J = 15.5, 7.4$  Hz, 1H), 3.09 (qdd,  $J = 14.2, 7.4, 1.2$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.74, 155.35, 136.08, 135.62, 135.59, 133.91, 131.71, 127.79, 127.75, 127.52, 127.40, 127.33, 126.75, 126.51, 125.31, 119.29, 104.59, 44.20. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 7.3$  min (major),  $t_r = 9.6$  min (minor), ee = 91%.

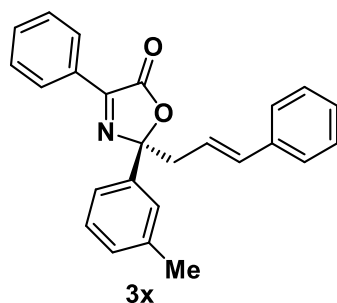


Following the general procedure **C**, **3v** was obtained as yellow oil (24 mg, 56% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.40 – 8.38 (m, 2H), 7.59 – 7.53 (m, 5H), 7.49 (dd,  $J = 8.4, 7.0$  Hz, 2H), 7.28 – 7.19 (m, 5H), 6.43 (d,  $J = 15.9$  Hz, 1H), 5.95 (dt,  $J = 15.4, 7.4$  Hz, 1H), 3.15 – 3.04 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.72, 155.36, 136.60, 135.64, 135.58, 131.73, 130.71, 127.80, 127.76, 127.53, 127.31,

126.80, 126.76, 125.32, 122.14, 119.25, 104.62, 44.15. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r$  = 7.6 min (major),  $t_r$  = 10.1 min (minor), ee = 91%.

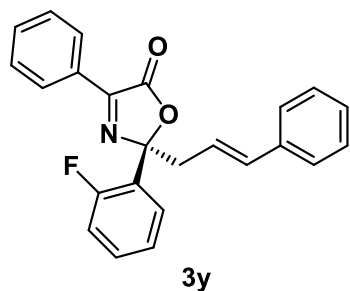


Following the general procedure **C**, **3w** was obtained as yellow solid (28 mg, 75% yield). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  8.42 – 8.39 (m, 2H), 7.59 – 7.56 (m, 1H), 7.51 – 7.46 (m, 3H), 7.42 – 7.37 (m, 2H), 7.28 – 7.19 (m, 5H), 7.07 (td,  $J$  = 8.2, 2.2 Hz, 1H), 6.44 (d,  $J$  = 15.8 Hz, 1H), 5.95 (dt,  $J$  = 15.4, 7.4 Hz, 1H), 3.12 (qdd,  $J$  = 14.2, 7.4, 1.3 Hz, 2H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  162.64 (d,  $J$  = 18.4 Hz), 155.43, 139.88 (d,  $J$  = 7.5 Hz), 135.64 (d,  $J$  = 9.0 Hz), 131.72, 129.22 (d,  $J$  = 8.0 Hz), 127.79, 127.77, 127.51, 127.30, 126.74, 125.32, 120.72 (d,  $J$  = 3.2 Hz), 119.20, 114.93, 114.76, 112.57, 112.38, 104.38 (d,  $J$  = 2.4 Hz), 44.09. <sup>19</sup>F NMR (470 MHz, Chloroform-*d*)  $\delta$  -111.64 (td,  $J$  = 8.9, 5.5 Hz). HRMS(ESI)  $m/z$ : calculated for [C<sub>24</sub>H<sub>18</sub>FNO<sub>2</sub> + Na]<sup>+</sup> 394.1219, found 394.1215. HPLC data (Chiralpak AD column, hexane : isopropanol = 95 : 5, 1.0 mL/min),  $t_r$  = 7.2 min (major),  $t_r$  = 8.0 min (minor), ee = 92%.

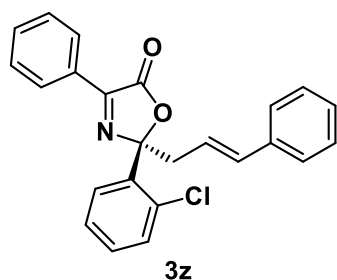


Following the general procedure **C**, **3x** was obtained as yellow oil (22 mg, 60% yield). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  8.40 – 8.38 (m, 2H), 7.58 – 7.54 (m, 1H), 7.50 – 7.47 (m, 4H), 7.31 (t,  $J$  = 7.6 Hz, 1H), 7.27 – 7.23 (m, 4H), 7.21 – 7.18 (m, 2H), 6.47 – 6.43 (m, 1H), 5.96 (dt,  $J$  = 15.8, 7.3 Hz, 1H), 3.15 (qdd,  $J$  = 14.2, 7.4, 1.3 Hz,

2H), 2.40 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.03, 155.17, 137.56, 137.35, 135.77, 135.34, 131.49, 128.59, 127.73, 127.55, 127.47, 127.46, 126.61, 125.58, 125.30, 122.09, 119.71, 105.19, 44.02, 20.54. HRMS(ESI) *m/z*: calculated for  $[\text{C}_{25}\text{H}_{21}\text{NO}_2 + \text{H}]^+$  368.1651, found 368.1643. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min), *tr* = 6.1 min (major), *tr* = 6.9 min (minor), ee = 92%.

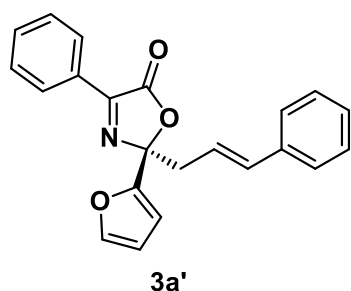


Following the general procedure **C**, **3y** was obtained as yellow oil (26 mg, 70% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.43 – 8.41 (m, 2H), 7.58 (td, *J* = 7.5, 1.6 Hz, 2H), 7.49 (t, *J* = 7.6 Hz, 2H), 7.38 (tdd, *J* = 7.2, 4.8, 1.7 Hz, 1H), 7.27 – 7.23 (m, 4H), 7.21 – 7.18 (m, 1H), 7.17 – 7.13 (m, 2H), 6.49 (d, *J* = 15.9 Hz, 1H), 5.98 (dt, *J* = 15.5, 7.4 Hz, 1H), 3.37 – 3.25 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.85, 155.81, 135.71, 135.48, 131.71, 130.14 (d, *J* = 8.6 Hz), 127.82, 127.78, 127.47, 127.34, 126.93 (d, *J* = 2.9 Hz), 126.64, 125.31, 123.21 (d, *J* = 3.6 Hz), 119.53, 115.83, 115.65, 103.54 (d, *J* = 4.9 Hz), 41.32 (d, *J* = 3.6 Hz).  $^{19}\text{F}$  NMR (470 MHz, Chloroform-*d*)  $\delta$  -111.34 (dt, *J* = 13.0, 6.4 Hz). HRMS(ESI) *m/z*: calculated for  $[\text{C}_{24}\text{H}_{18}\text{FNO}_2 + \text{Na}]^+$  394.1219, found 394.1217. HPLC data (Chiralpak AD column, hexane : isopropanol = 98 : 2, 1.0 mL/min), *tr* = 13.9 min (major), *tr* = 14.7 min (minor), ee = 91%.

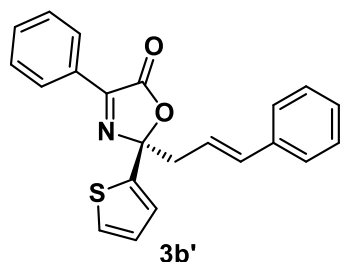


Following the general procedure **C**, **3z** was obtained as yellow solid (35 mg, 90% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.41 – 8.38 (m, 2H), 7.64 – 7.62 (m, 2H),

7.59 – 7.56 (m, 1H), 7.50 (dd,  $J = 8.4, 6.9$  Hz, 2H), 7.41 – 7.39 (m, 2H), 7.29 – 7.20 (m, 5H), 6.44 (d,  $J = 15.8$  Hz, 1H), 5.96 (dt,  $J = 15.5, 7.4$  Hz, 1H), 3.10 (qdd,  $J = 14.2, 7.4, 1.2$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.75, 155.35, 136.09, 135.63, 135.60, 133.91, 131.72, 128.40, 128.22, 127.80, 127.76, 127.53, 127.34, 126.76, 126.52, 125.32, 124.67, 119.30, 104.60, 44.21. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{18}\text{ClNO}_2 + \text{H}]^+$  388.1104, found 388.1095. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 7.3$  min (major),  $t_r = 9.6$  min (minor), ee = 92%.

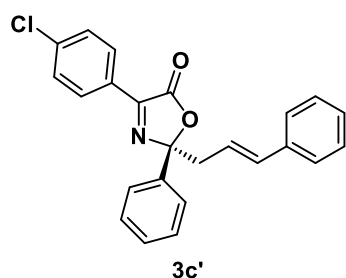


Following the general procedure **C**, **3a'** was obtained as yellow oil (25 mg, 73% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.43 – 8.41 (m, 2H), 7.60 – 7.57 (m, 1H), 7.51 – 7.47 (m, 3H), 7.29 – 7.24 (m, 4H), 7.21 (td,  $J = 5.7, 2.5$  Hz, 1H), 6.56 – 6.48 (m, 2H), 6.39 (dd,  $J = 3.4, 1.8$  Hz, 1H), 6.02 (dt,  $J = 15.9, 7.3$  Hz, 1H), 3.32 (ddd,  $J = 7.3, 2.6, 1.3$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.91, 156.71, 147.83, 142.68, 135.64, 135.46, 131.85, 127.90, 127.81, 127.50, 127.24, 126.71, 125.35, 119.00, 109.56, 108.03, 100.54, 39.59. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{22}\text{H}_{17}\text{NO}_3 + \text{H}]^+$  344.1287, found 344.1286. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 7.1$  min (major),  $t_r = 8.3$  min (minor), ee = 89%.

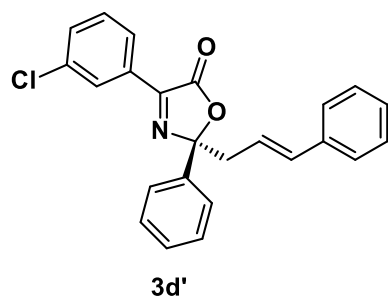


Following the general procedure **C**, **3b'** was obtained as yellow oil (13 mg, 36% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.41 (d,  $J = 7.7$  Hz, 2H), 7.60 – 7.56 (m,

1H), 7.50 (t,  $J = 7.7$  Hz, 2H), 7.35 (dd,  $J = 5.1, 1.2$  Hz, 1H), 7.27 (dd,  $J = 4.3, 2.8$  Hz, 5H), 7.23 – 7.20 (m, 1H), 7.04 (dd,  $J = 5.1, 3.7$  Hz, 1H), 6.50 (d,  $J = 15.9$  Hz, 1H), 6.03 (dt,  $J = 15.4, 7.3$  Hz, 1H), 3.29 – 3.19 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.79, 155.77, 139.15, 135.66, 135.62, 131.80, 127.88, 127.81, 127.51, 127.24, 126.73, 126.01, 125.41, 125.36, 124.77, 119.34, 103.23, 43.96. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{22}\text{H}_{17}\text{NO}_2\text{S} + \text{Na}]^+$  382.0878, found 382.0875. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 7.6$  min (major),  $t_r = 8.8$  min (minor), ee = 86%.

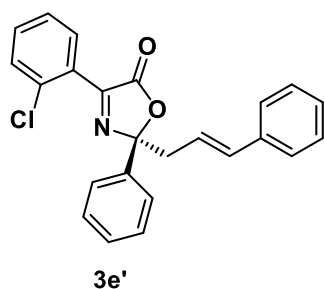


Following the general procedure **C**, **3c'** was obtained as yellow solid (20 mg, 52% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.38 – 8.35 (m, 2H), 7.68 – 7.66 (m, 2H), 7.47 – 7.45 (m, 2H), 7.43 (td,  $J = 7.7, 7.2, 1.8$  Hz, 2H), 7.40 – 7.36 (m, 1H), 7.28 – 7.19 (m, 5H), 6.43 (d,  $J = 15.8$  Hz, 1H), 5.94 (dt,  $J = 15.8, 7.4$  Hz, 1H), 3.14 (qdd,  $J = 14.3, 7.4, 1.3$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.79, 155.36, 139.11, 138.46, 136.70, 136.58, 130.10, 129.17, 129.00, 128.65, 128.55, 127.75, 126.95, 126.33, 126.01, 120.47, 106.38, 45.13. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{18}\text{ClNO}_2 + \text{H}]^+$  388.1104, found 388.1094. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 8.4$  min (major),  $t_r = 10.3$  min (minor), ee = 88%.

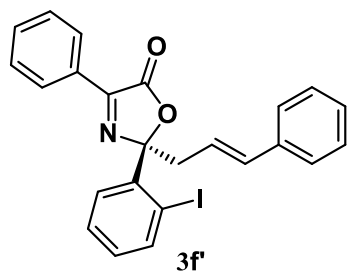


Following the general procedure **C**, **3d'** was obtained as yellow oil (33 mg, 85% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.40 (t,  $J = 1.9$  Hz, 1H), 8.33 (dt,  $J = 7.8,$

1.3 Hz, 1H), 7.69 – 7.66 (m, 2H), 7.53 (ddd,  $J = 8.0, 2.2, 1.1$  Hz, 1H), 7.45 – 7.37 (m, 4H), 7.29 – 7.20 (m, 5H), 6.45 (d,  $J = 15.8$  Hz, 1H), 5.95 (dt,  $J = 15.9, 7.4$  Hz, 1H), 3.15 (qdd,  $J = 14.2, 7.4, 1.3$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.52, 154.25, 137.31, 135.63, 135.61, 133.94, 131.60, 129.09, 129.06, 128.01, 127.63, 127.58, 127.52, 126.73, 125.91, 125.31, 124.98, 119.33, 105.41, 44.08. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{18}\text{ClNO}_2 + \text{H}]^+$  388.1104, found 388.1101. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 6.0$  min (major),  $t_r = 6.6$  min (minor), ee = 92%.



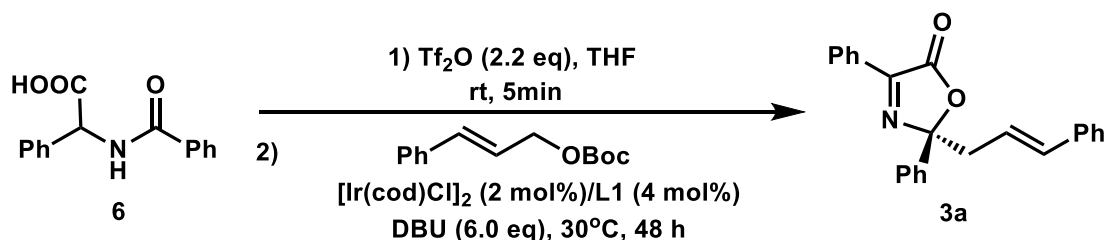
Following the general procedure **C**, **3e'** was obtained as yellow oil (16 mg, 41% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.76 (dd,  $J = 7.5, 1.8$  Hz, 2H), 7.71 (dd,  $J = 7.8, 1.6$  Hz, 1H), 7.56 (d,  $J = 8.0$  Hz, 1H), 7.51 – 7.44 (m, 4H), 7.38 (td,  $J = 7.6, 1.1$  Hz, 1H), 7.34 – 7.30 (m, 4H), 7.27 (ddd,  $J = 8.6, 5.2, 2.5$  Hz, 1H), 6.54 (d,  $J = 15.8$  Hz, 1H), 6.07 (dt,  $J = 15.3, 7.4$  Hz, 1H), 3.26 (d,  $J = 7.4$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.40, 158.12, 138.39, 136.94, 136.66, 133.85, 132.27, 131.26, 130.73, 129.09, 128.71, 128.56, 127.79, 127.67, 126.82, 126.39, 126.05, 120.19, 107.30, 44.83. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{18}\text{ClNO}_2 + \text{H}]^+$  388.1104, found 388.1095. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 8.0$  min (major),  $t_r = 9.2$  min (minor), ee = 94%.



Following the general procedure **C**, **3f'** was obtained as yellow oil (27 mg, 56% yield).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.44 – 8.42 (m, 2H), 8.07 – 8.06 (m, 1H), 7.72

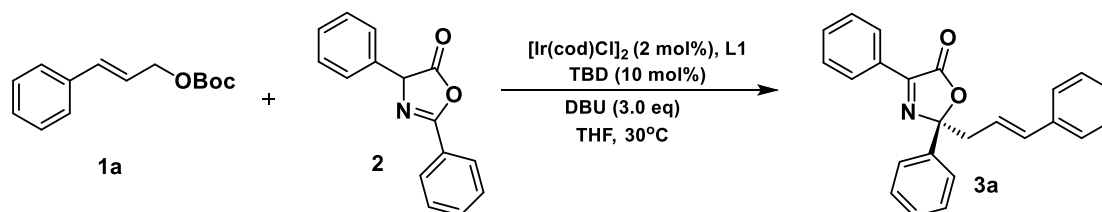
(dd,  $J = 7.9, 1.6$  Hz, 1H), 7.57 (t,  $J = 7.4$  Hz, 1H), 7.49 (t,  $J = 7.6$  Hz, 2H), 7.37 – 7.34 (m, 1H), 7.24 (d,  $J = 6.1$  Hz, 4H), 7.19 (td,  $J = 5.8, 2.4$  Hz, 1H), 7.02 (td,  $J = 7.7, 1.7$  Hz, 1H), 6.48 (d,  $J = 15.8$  Hz, 1H), 5.95 (dt,  $J = 15.4, 7.4$  Hz, 1H), 3.51 (dd,  $J = 14.3, 7.6$  Hz, 1H), 3.38 (dd,  $J = 14.3, 7.2$  Hz, 1H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform- $d$ )  $\delta$  162.45, 155.21, 141.84, 139.42, 135.72, 135.55, 131.69, 129.41, 128.06, 127.90, 127.80, 127.46, 127.13, 126.64, 125.32, 119.38, 104.33, 91.96, 41.02. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{18}\text{INO}_2 + \text{Na}]^+$  502.0280, found 502.0276. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 6.1$  min (major),  $t_r = 6.6$  min (minor), ee = 88%.

#### General procedure D: One-pot synthesis of allylated 2,4-diaryloxazol-5(2H)-ones



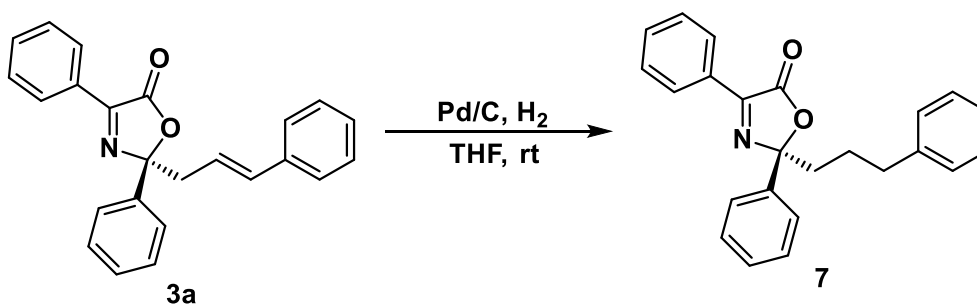
To a dried 5 mL vial was added 2-benzamido-2-phenylacetic acid (51 mg, 0.2 mmol), trifluoroacetic anhydride (31 mg, 0.22 mmol) and THF (0.5 mL) under the atmosphere of Ar. The reaction mixture was then stirred at room temperature for 5 min. Then, *tert*-butyl cinnamyl carbonate (23 mg, 0.1 mmol), and DBU (91 mg, 0.6 mmol) were added using a pipetting gun. A separate vial was charged of  $[\text{Ir}(\text{cod})\text{Cl}]_2$  (1.4 mg, 0.002 mmol), **L1** (2.5 mg, 0.004 mmol), TBD (1.4 mg, 0.01 mmol) and THF (0.5 mL). The mixture was stirred at  $30^\circ\text{C}$  for 30 min. The Iridium complex was then transferred to the first vial via syringe. The reaction mixture was stirred at the corresponding temperature for 48 hours. Upon completion of the reaction the vial was removed from the glovebox and uncapped. Saturated  $\text{NH}_4\text{Cl}$  aqueous solution was added and the mixture was extracted with DCM (10 mL x 3). The combined organic phase was washed with brine, dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography (PE:EA=100:1) to afford the desired product **3a** as a yellow solid (24 mg, 68% yield, ee=90%).

### General procedure E: Large scale synthesis of 3a.



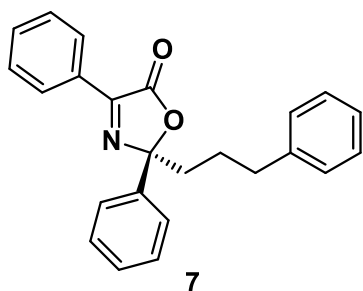
The reaction was carried out in the glovebox under argon atmosphere.  $[\text{Ir}(\text{cod})\text{Cl}]_2$  (14 mg, 0.02 mmol), L1 (25 mg, 0.04 mmol), and TBD (14 mg, 0.1 mmol) were added to a vial equipped with a magnetic stirring bar. The vial was then charged with THF (5.0 mL) and stirred at 30°C for 30 min. Pressure pipe was added *tert*-butyl cinnamyl carbonates (1.0 mmol), 2,4-diphenyloxazol-5(4*H*)-one (2.0 mmol), DBU (3.0 mmol) and THF (5.0 mL). The pre-formed catalyst solution was then transferred to pressure pipe. The mixture was stirred at 30°C for 24 h. Upon completion of the reaction, saturated  $\text{NH}_4\text{Cl}$  aqueous solution was added and the mixture was extracted with DCM (10 mL x 3). The combined organic phase was washed with brine, dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography (PE:EA=100:1) to afford the desired product 3a as a yellow solid (247 mg, 70% yield, ee=88%).

### Synthetic transformations.

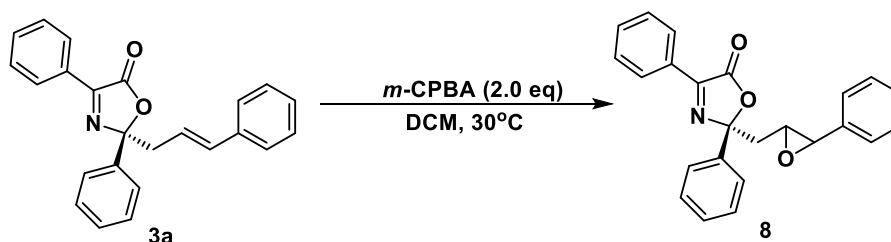


10 mg of Pd/C was added to a solution of (*S*)-2-cinnamyl-2,4-diphenyloxazol-5(2*H*)-one (35 mg, 0.1 mmol) in THF (1.0 mL). The mixture was hydrogenated for 2 hours. The suspension was filtered and the solvent was evaporated to obtain the crude product. The product was then purified by column chromatography to yield the desired product 7 as a colorless oil (21 mg, 59% yield).

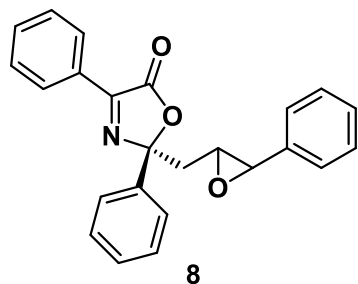




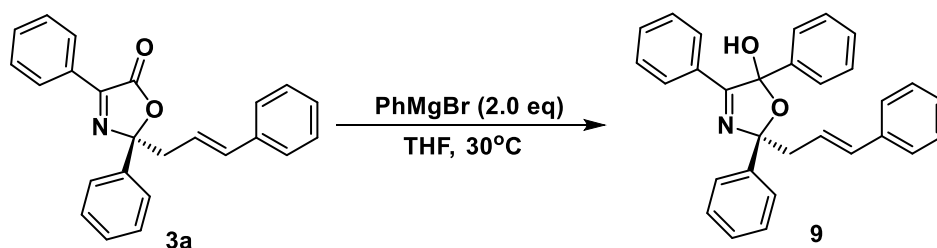
$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.49 – 8.47 (m, 2H), 7.68 – 7.65 (m, 2H), 7.61 – 7.58 (m, 1H), 7.53 (dd,  $J = 8.3, 6.9$  Hz, 2H), 7.46 – 7.38 (m, 3H), 7.30 (t,  $J = 7.5$  Hz, 2H), 7.21 (t,  $J = 7.5$  Hz, 1H), 7.16 – 7.14 (m, 2H), 2.65 (t,  $J = 7.7$  Hz, 2H), 2.37 (ddd,  $J = 14.0, 10.3, 5.9$  Hz, 1H), 2.27 (ddd,  $J = 14.1, 10.2, 6.1$  Hz, 1H), 1.72 – 1.65 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.11, 154.58, 140.31, 137.91, 131.52, 127.74, 127.73, 127.59, 127.53, 127.39, 127.37, 127.02, 124.98, 124.96, 105.92, 40.11, 34.37, 23.88. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{21}\text{NO}_2 + \text{K}]^+$  394.1209, found 394.1203. HPLC data (Chiralpak AD column, hexane : isopropanol = 98 : 2, 1.0 mL/min),  $t_r = 8.2$  min (major),  $t_r = 9.2$  min (minor), ee = 91%.



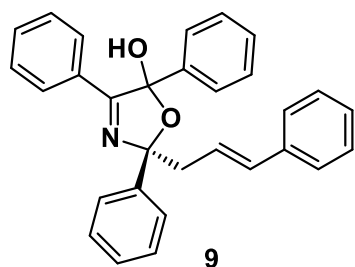
Under an argon atmosphere, a vial was charged with (*S*)-2-cinnamyl-2,4-diphenyloxazol-5(2*H*)-one (35 mg, 0.1 mmol), *m*-CPBA (34 mg, 0.2 mmol) and DCM (1.0 mL). The mixture is stirred vigorously for 2 hours at 30°C, and then quenched by addition of saturated  $\text{NH}_4\text{Cl}$  aqueous. The layers were separated and the aqueous phase was extracted with DCM (10 mL x 3). The combined organic layers were dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated in vacuo. The crude product was purified by column chromatography over silica gel (PE: EA=100:1) to afford the compound **8** (26 mg, 70% yield) as a pale yellow oil.



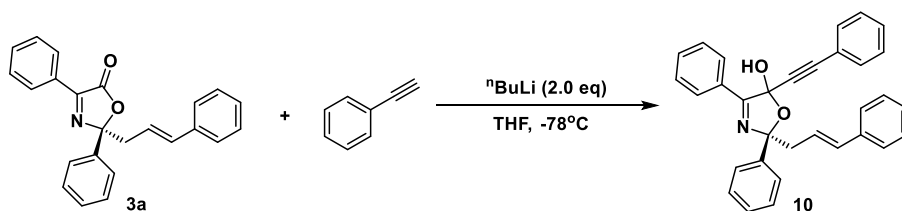
$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.43 – 8.41 (m, 2.02H), 8.40 – 8.38 (m, 2.05H), 7.66 – 7.64 (m, 4.11H), 7.58 (dt,  $J = 10.0, 7.4$  Hz, 2.38H), 7.49 (q,  $J = 7.5$  Hz, 5.06H), 7.41 (dd,  $J = 5.2, 1.9$  Hz, 5.94H), 7.29 (s, 1.36H), 7.26 – 7.24 (m, 3.97H), 7.07 (dd,  $J = 7.4, 2.1$  Hz, 2.02H), 7.03 (dd,  $J = 6.7, 3.0$  Hz, 2.05H), 3.47 (d,  $J = 1.9$  Hz, 1.02H), 3.45 (d,  $J = 1.9$  Hz, 1H), 3.04 (d,  $J = 6.8$  Hz, 1.01H), 3.02 (d,  $J = 1.9$  Hz, 1.02H), 2.75 (dd,  $J = 14.2, 5.3$  Hz, 1.14H), 2.69 (dd,  $J = 14.3, 5.5$  Hz, 1.14H), 2.52 (dd,  $J = 14.3, 6.5$  Hz, 1.12H), 2.45 (dd,  $J = 14.1, 6.7$  Hz, 1.12H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.74, 162.54, 155.19, 154.91, 128.15, 128.10, 127.83, 127.78, 127.74, 127.39, 127.33, 127.31, 127.19, 127.15, 125.02, 124.92, 124.45, 124.43, 105.22, 104.32, 57.41, 57.15, 56.67, 56.58, 43.93, 43.84. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{19}\text{NO}_3 + \text{H}]^+$  370.1443, found 370.1438.



Under an argon atmosphere, PhMgBr (0.2 mL, 0.2 mmol, 1.0 M) was added to a solution of (*S*)-2-cinnamyl-2,4-diphenyloxazol-5(2*H*)-one (35 mg, 0.1 mmol) in THF (1.0 mL) at 30°C. After 1 hour, the solvent was removed and the product was purified by column chromatography on silica gel to afford the product as a white solid (43 mg, 99% yield).

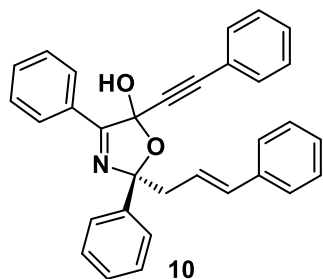


$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.91 – 7.88 (m, 2.02H), 7.86 – 7.83 (m, 1.68H), 7.78 (d,  $J = 1.6$  Hz, 1.97H), 7.74 (s, 1.7H), 7.60 (s, 0.75H), 7.58 (d,  $J = 1.6$  Hz, 0.96H), 7.43 – 7.40 (m, 3.28H), 7.36 (dq,  $J = 9.7, 5.6, 5.1$  Hz, 6.08H), 7.32 – 7.29 (m, 5.25H), 7.27 – 7.25 (m, 5.55H), 7.22 (dtd,  $J = 14.5, 7.6, 6.8, 2.9$  Hz, 6.48H), 6.64 (d,  $J = 16.0$  Hz, 1H), 6.43 (d,  $J = 15.9$  Hz, 0.82H), 6.28 – 6.24 (m, 1H), 6.23 – 6.19 (m, 0.82H), 3.28 – 3.14 (m, 1.98H), 3.04 (ddd,  $J = 59.0, 14.1, 8.3$  Hz, 2.28H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  166.04, 142.31, 138.55, 135.32, 135.19, 133.20, 129.99, 128.67, 128.14, 127.77, 127.53, 127.47, 127.45, 127.35, 127.31, 127.25, 127.13, 126.97, 126.90, 126.81, 126.22, 125.54, 125.31, 125.22, 125.00, 124.82, 123.44, 123.12, 109.87, 108.91, 107.78, 107.46, 45.81. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{30}\text{H}_{25}\text{NO}_2 + \text{H}]^+$  432.1964, found 432.1949.

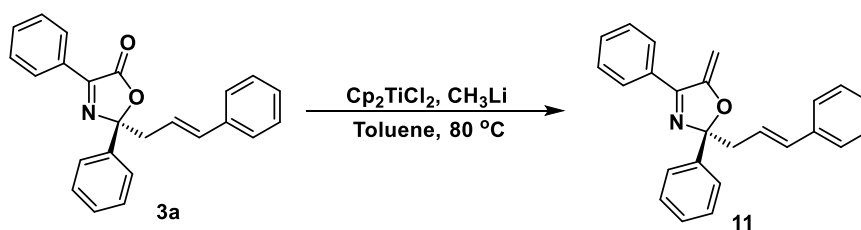


Under argon atmosphere, to a vial were added aryl acetylene (0.2 mmol) and dry THF (1.0 mL). The mixture was then stirred at  $-78^\circ\text{C}$  for 5 min. After that,  $^n\text{BuLi}$  (0.08 mL, 0.2 mmol, 2.5 M) was added dropwise *via* syringe. The reaction mixture was stirred at  $-78^\circ\text{C}$  for 1 hour, followed by dropwise addition of (*S*)-2-cinnamyl-2,4-diphenyloxazolidin-5(2*H*)-one (35 mg, 0.1 mmol) in THF (1.0 mL). The mixture was stirred at the same temperature for 3 hours. When the raw material reacted completely, the reaction was quenched with saturated  $\text{NH}_4\text{Cl}$  aqueous. The layers were separated and extracted with EA (20 mL $\times$ 3). The combined organic layers were dried over anhydrous  $\text{Na}_2\text{SO}_4$ , filtered and concentrated by vacuo. The crude product was purified by column chromatography over silica gel (PE: EA=100:1) to afford the product as a white solid

(37 mg, 82% yield).



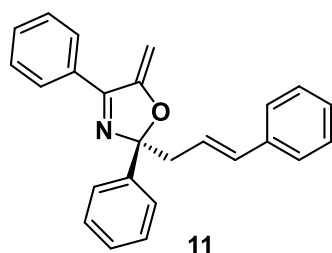
$^1\text{H}$  NMR (500 MHz, DMSO- $d_6$ )  $\delta$  8.46 (s, 0.30H), 8.35 (s, 1.01H), 8.21 – 8.17 (m, 2.68H), 7.67 (d,  $J = 7.6$  Hz, 2.75H), 7.46 (qd,  $J = 10.4, 9.0, 4.8$  Hz, 4.21H), 7.36 (t,  $J = 7.5$  Hz, 3.99H), 7.33 – 7.28 (m, 4H), 7.24 (s, 4.18H), 7.19 (d,  $J = 7.5$  Hz, 2.40H), 7.00 (dt,  $J = 14.6, 7.1$  Hz, 3.19H), 6.46 (d,  $J = 15.9$  Hz, 1H), 6.39 (d,  $J = 15.9$  Hz, 0.32H), 6.20 (ddt,  $J = 22.1, 15.3, 7.0$  Hz, 1.36H), 3.12 (td,  $J = 15.1, 7.2$  Hz, 1.35H), 3.00 (td,  $J = 16.9, 14.5, 6.8$  Hz, 1.36H).  $^{13}\text{C}$  NMR (126 MHz, DMSO- $d_6$ )  $\delta$  162.77, 162.56, 142.60, 141.42, 136.50, 136.43, 132.89, 132.25, 131.03, 130.93, 130.74, 130.60, 128.77, 128.68, 128.46, 128.24, 128.21, 128.18, 128.12, 128.02, 127.95, 127.78, 127.47, 127.44, 127.11, 127.05, 126.56, 126.48, 125.28, 125.22, 125.08, 123.96, 123.33, 120.42, 120.28, 110.03, 109.49, 100.11, 100.07, 87.06, 86.91, 85.32, 84.93, 45.07, 44.50. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{32}\text{H}_{25}\text{NO}_2 + \text{H}]^+$  456.1964, found 456.1954.



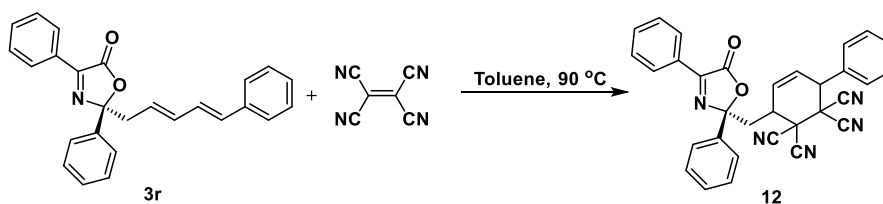
The compound **11** was synthesized according the literature with modifications.<sup>[3]</sup>  $\text{CH}_3\text{Li}$  (0.8 mL, 1.25 mmol) was added dropwise under argon atmosphere to a stirred slurry of titanocene dichloride (124 mg, 0.5 mmol) in dry toluene (2.0 mL) at  $-5^\circ\text{C}$ . After 1 hour, the reaction mixture was warmed to  $0^\circ\text{C}$  and then quenched carefully with ice-cold 6% aqueous  $\text{NH}_4\text{Cl}$  (3.0 mL). After separation, the organic layer was washed with water (10 mL) and brine (10 mL), dried over  $\text{Na}_2\text{SO}_4$  and filtered to provide a red solution. The solution was concentrated to one third the volume. The dimethyltitanocene was generally stored in the freezer and used as a 0.5 M solution in

toluene.

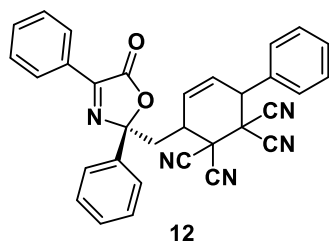
A solution of dimethyltitanocene and (*S*)-2-cinnamyl-2,4-diphenyloxazol-5(2*H*)-one (35 mg, 0.1 mmol) was stirred for 4 hours in the dark at 80°C under argon atmosphere. The solvent was removed and the product was purified by column chromatography, affording product as a colorless oil (30 mg, 85% yield).



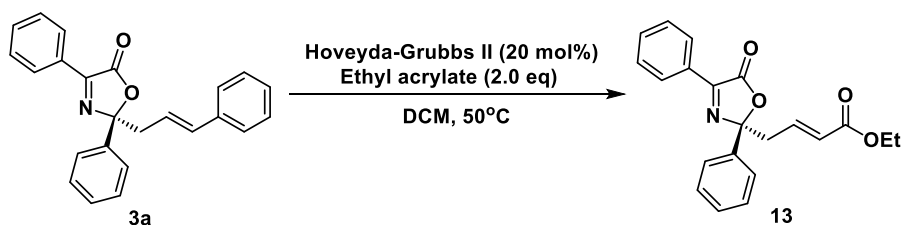
$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.68 (dd,  $J = 8.9, 7.2$  Hz, 4H), 7.50 – 7.46 (m, 1H), 7.44 – 7.39 (m, 4H), 7.35 – 7.31 (m, 2H), 7.28 – 7.25 (m, 3H), 7.21 – 7.18 (m, 1H), 6.46 (d,  $J = 15.9$  Hz, 1H), 6.11 (dt,  $J = 15.7, 7.3$  Hz, 1H), 4.83 (d,  $J = 2.6$  Hz, 1H), 4.52 (d,  $J = 2.6$  Hz, 1H), 3.09 (ddd,  $J = 7.5, 2.7, 1.3$  Hz, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.15, 157.32, 140.51, 136.42, 133.64, 130.29, 129.65, 127.55, 127.43, 127.41, 127.29, 127.04, 126.19, 125.18, 124.76, 121.75, 110.56, 84.61, 44.55. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{25}\text{H}_{21}\text{NO} + \text{H}]^+$  352.1701, found 352.1694. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 5.0$  min (major),  $t_r = 5.5$  min (minor), ee = 90%.



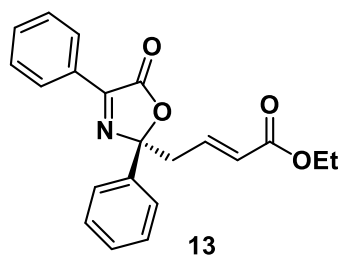
A solution of **3r** (38 mg, 0.1 mmol) and tetracyanoethylene (26 mg, 0.2 mmol) in 1.0 mL of toluene was heated at reflux for overnight. When the reaction completed, the mixture was added with water and extracted with EA (10 mL x 2). The combined organic phases were washed with saturated  $\text{NH}_4\text{Cl}$  solution, dried and evaporated. The crude mixture was purified by column chromatography to yield the product as a colorless oil (36 mg, 71% yield).



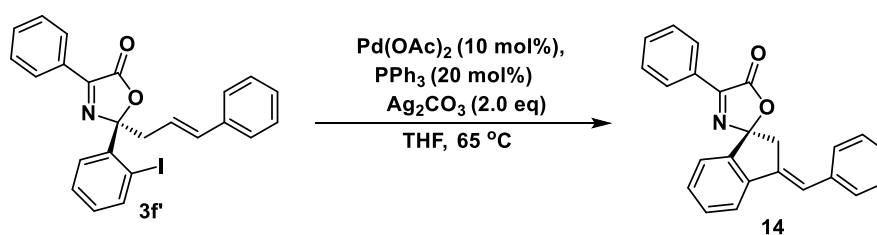
$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.50 (d,  $J = 7.6$  Hz, 1.93H), 8.46 (d,  $J = 7.8$  Hz, 2.46H), 7.72 – 7.71 (m, 4.60H), 7.66 – 7.62 (m, 2.18H), 7.61 – 7.52 (m, 9.93H), 7.50 – 7.45 (m, 9.92H), 7.44 – 7.40 (m, 4.66H), 6.13 (ddd,  $J = 10.8, 4.7, 2.8$  Hz, 1.33H), 6.01 (ddd,  $J = 10.8, 4.6, 2.7$  Hz, 1.06H), 5.95 (dt,  $J = 10.7, 2.2$  Hz, 1.25H), 5.91 – 5.88 (m, 0.98H), 4.25 (p,  $J = 2.7$  Hz, 2.32H), 3.26 – 3.14 (m, 4.89H), 2.82 (dd,  $J = 14.7, 8.9$  Hz, 1H), 2.70 (dd,  $J = 14.9, 9.6$  Hz, 1.26H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  162.27, 161.86, 155.95, 155.31, 135.45, 134.90, 132.42, 132.32, 131.51, 129.38, 129.12, 128.66, 128.64, 128.46, 128.39, 128.34, 128.05, 127.99, 127.96, 126.90, 126.83, 126.55, 126.29, 125.32, 125.16, 123.76, 123.62, 109.97, 109.94, 109.92, 109.89, 108.91, 108.89, 108.51, 108.43, 103.87, 103.64, 45.79, 45.76, 43.50, 43.24, 42.81, 42.76, 42.09, 36.84, 36.70. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{32}\text{H}_{21}\text{N}_5\text{O}_2 + \text{Na}]^+$  530.1593, found 530.1584.



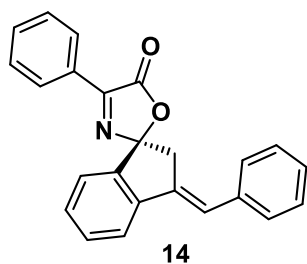
The reaction was proceeded in the glovebox. To a vessel was added a solution of compound (*S*)-2-cinnamyl-2,4-diphenyloxazol-5(2*H*)-one (35 mg, 0.1 mmol) in DCM (1.0 mL) and Hoveyda-Grubbs II catalyst (1.7 mg, 0.02 mmol) to form a dark-red solution. The tube was sealed with a Teflon/rubber cap. To this solution was added ethyl acrylate (22 $\mu\text{L}$ , 0.2 mmol). The resulting solution was heated over 50  $^\circ\text{C}$  for 48 hours. Then, the reaction mixture was cooled to rt. The crude product was purified by column chromatography to give compound **13** (21 mg, 57% yield).



$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.42 – 8.40 (m, 2H), 7.64 – 7.61 (m, 2H), 7.59 – 7.56 (m, 1H), 7.50 (t,  $J = 7.7$  Hz, 2H), 7.43 – 7.36 (m, 3H), 6.72 (dt,  $J = 15.3, 7.5$  Hz, 1H), 5.87 (dd,  $J = 15.4, 1.5$  Hz, 1H), 4.14 (q,  $J = 7.2$  Hz, 2H), 3.17 – 3.05 (m, 2H), 1.24 (t,  $J = 7.1$  Hz, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  164.52, 162.66, 155.30, 137.98, 136.96, 131.79, 128.15, 127.82, 127.79, 127.70, 127.27, 125.90, 124.94, 104.24, 59.46, 43.23, 13.15. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{21}\text{H}_{19}\text{NO}_4 + \text{Na}]^+$  372.1212, found 372.1209. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 7.9$  min (major),  $t_r = 10.8$  min (minor), ee = 91%.



The Pd(OAc)<sub>2</sub> (2.2 mg, 0.01 mmol), PPh<sub>3</sub> (5.2 mg, 0.02 mmol) and Ag<sub>2</sub>CO<sub>3</sub> (0.2 mmol, 2.0 eq) were added to a vial containing a stirring bar under an argon atmosphere. The vial was wrapped with Teflon tape and capped. THF (1.0 mL) and (*S*)-2-cinnamyl-2-(2-iodophenyl)-4-phenyloxazol-5(2*H*)-one (41 mg, 0.1 mmol) were then added using a syringe. The resulting solution was heated at reflux for 16 hours. The solvent was removed and the product was purified by column chromatography on silica gel, eluent (PE: EA=100:1), product as a yellow solid (19 mg, 55% yield).



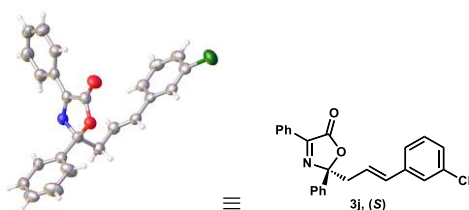
$^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  8.46 – 8.44 (m, 2H), 7.76 (d,  $J = 7.9$  Hz, 1H), 7.59 (t,  $J = 7.5$  Hz, 1H), 7.50 (q,  $J = 7.9$  Hz, 3H), 7.44 (d,  $J = 7.8$  Hz, 2H), 7.38 (t,  $J = 7.6$  Hz, 2H), 7.31 (t,  $J = 7.5$  Hz, 1H), 7.27 (d,  $J = 7.2$  Hz, 1H), 7.17 (d,  $J = 2.5$  Hz, 1H), 7.03 (d,  $J = 7.7$  Hz, 1H), 3.77 – 3.61 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  163.41, 154.75, 142.04, 136.96, 135.89, 135.23, 131.79, 130.00, 128.07, 127.90, 127.85, 127.66, 127.64, 127.28, 126.36, 122.28, 121.32, 119.91, 109.23, 41.27. HRMS(ESI)  $m/z$ : calculated for  $[\text{C}_{24}\text{H}_{17}\text{NO}_2 + \text{Na}]^+$  374.1157, found 374.1153. HPLC data (Chiralpak AD column, hexane : isopropanol = 90 : 10, 1.0 mL/min),  $t_r = 15.5$  min (major),  $t_r = 18.4$  min (minor), ee = 83%.

## References

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- [2] D. K. Kahlon; T. A. Lansdell; J. S. Fisk; C. D. Hupp; T. L. Friebe; S. Hovde; A. D. Jones; R. D. Dyer; R. W. Henry; J. J. Tepe. *J. Med. Chem.* **2009**, 52, 1302-1309.
- [3] A. J. Ndakala; M. Hashemzadeh; R. C. So; A. R. Howell. *Org. Lett.* **2002**, 4, 1719–1722.



## Single X-ray structure data of chiral 3j



**Table 1 Crystal data and structure refinement for cu\_20181219LMF\_0m\_a.**

Identification code	cu_20181219LMF_0m_a
Empirical formula	C <sub>24</sub> H <sub>18</sub> ClNO <sub>2</sub>
Formula weight	387.84
Temperature/K	296(2)
Crystal system	orthorhombic
Space group	P2 <sub>1</sub> 2 <sub>1</sub> 2 <sub>1</sub>
a/Å	6.0263(5)
b/Å	16.9680(14)
c/Å	18.8850(16)
α/°	90
β/°	90
γ/°	90
Volume/Å <sup>3</sup>	1931.1(3)
Z	4
ρ <sub>calc</sub> /cm <sup>3</sup>	1.334
μ/mm <sup>-1</sup>	1.904
F(000)	808.0
Crystal size/mm <sup>3</sup>	0.220 × 0.190 × 0.160
Radiation	CuKα (λ = 1.54178)
2θ range for data collection/°	7.004 to 130.97
Index ranges	-6 ≤ h ≤ 7, -20 ≤ k ≤ 20, -22 ≤ l ≤ 22
Reflections collected	10368
Independent reflections	3213 [R <sub>int</sub> = 0.0498, R <sub>sigma</sub> = 0.0556]
Data/restraints/parameters	3213/0/253
Goodness-of-fit on F <sup>2</sup>	1.092
Final R indexes [I >= 2σ (I)]	R <sub>1</sub> = 0.0475, wR <sub>2</sub> = 0.1185
Final R indexes [all data]	R <sub>1</sub> = 0.0491, wR <sub>2</sub> = 0.1205
Largest diff. peak/hole / e Å <sup>-3</sup>	0.34/-0.37
Flack parameter	0.031(10)

**Table 2 Fractional Atomic Coordinates ( $\times 10^4$ ) and Equivalent Isotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for cu\_20181219LMF\_0m\_a.  $U_{\text{eq}}$  is defined as 1/3 of of the trace of the orthogonalised  $U_{ij}$  tensor.**

Atom	<i>x</i>	<i>y</i>	<i>z</i>	$U(\text{eq})$
Cl1	3089.6(19)	6950.5(6)	2965.4(4)	71.1(3)
O2	580(3)	5517.4(11)	6577.1(10)	44.4(4)
O1	227(4)	4692.7(14)	5659.3(12)	58.4(6)
N1	3820(4)	4995.0(12)	7059.1(12)	38.8(5)
C11	1175(5)	5643.0(14)	7843.2(14)	40.1(6)
C10	2261(4)	5641.8(14)	7123.3(14)	38.7(5)
C6	4960(5)	6572.3(12)	4966.5(14)	38.2(5)
C23	3262(4)	4571.9(14)	6530.1(13)	38.5(5)
C9	3430(5)	6432.6(15)	6954.7(15)	44.0(6)
C24	1202(5)	4897.4(15)	6177.6(15)	41.4(6)
C22	4456(5)	3857.7(15)	6313.3(14)	40.7(6)
C2	7978(5)	6184.9(17)	4204.2(18)	51.1(7)
C12	2250(5)	5344.2(15)	8425.5(16)	48.2(7)
C5	3789(4)	6802.8(14)	4369.3(14)	40.6(6)
C8	4678(5)	6399.9(15)	6272.9(16)	44.9(6)
C7	3897(5)	6644.2(14)	5661.8(15)	40.9(6)
C17	6492(5)	3691.5(18)	6629.4(16)	50.1(7)
C1	7098(5)	6261.8(14)	4874.8(17)	44.8(6)
C3	6794(6)	6402.5(17)	3610.8(16)	52.0(7)
C21	3612(6)	3333.3(17)	5819.1(17)	53.8(7)
C4	4681(5)	6707.4(15)	3703.9(15)	46.6(6)
C16	-900(6)	5979(2)	7930.8(19)	63.6(9)
C13	1283(8)	5376.4(17)	9093.7(16)	60.8(9)
C20	4752(8)	2649.4(19)	5661(2)	67.1(10)

C19	6742(7)	2484.5(19)	5985.4(19)	63.6(10)
C14	-780(7)	5705.0(19)	9173.1(18)	62.5(9)
C15	-1860(6)	6001(3)	8602(2)	74.7(11)
C18	7612(6)	3009(2)	6469(2)	60.9(8)

**Table 3 Anisotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for cu\_20181219LMF\_0m\_a. The Anisotropic displacement factor exponent takes the form:  $-2\pi^2[h^2a^*U_{11}+2hka^*b^*U_{12}+\dots]$ .**

Atom	U <sub>11</sub>	U <sub>22</sub>	U <sub>33</sub>	U <sub>23</sub>	U <sub>13</sub>	U <sub>12</sub>
Cl1	78.6(6)	87.8(6)	46.8(4)	5.5(4)	-6.2(4)	-4.7(5)
O2	38.6(9)	51.7(9)	43.0(10)	1.4(8)	-6.7(8)	8.3(8)
O1	53.5(13)	70.7(13)	50.9(12)	-7.8(10)	-18.4(11)	6.9(10)
N1	35.7(10)	43.3(10)	37.4(10)	3.8(8)	-0.9(10)	3.9(9)
C11	39.2(13)	39.6(11)	41.4(13)	-1.8(10)	1.0(11)	-2.6(10)
C10	35.5(12)	41.6(12)	38.9(12)	-0.1(10)	-4.5(11)	5.4(10)
C6	39.5(12)	29.9(10)	45.3(14)	-2.4(9)	3.6(12)	-4.1(9)
C23	36.5(12)	42.7(11)	36.2(12)	7.2(10)	2.6(11)	1.0(10)
C9	43.8(13)	44.3(12)	43.8(13)	2.2(10)	1.5(12)	-0.2(11)
C24	35.8(12)	46.7(12)	41.6(13)	2.6(10)	-2.4(12)	-0.3(11)
C22	44.2(14)	43.2(11)	34.5(12)	7.1(9)	4.9(11)	3.3(11)
C2	39.0(14)	49.3(13)	64.9(18)	-11.8(13)	8.8(15)	-2.4(12)
C12	59.7(17)	41.8(12)	43.1(14)	4.9(11)	1.8(14)	5.2(12)
C5	38.6(12)	35.3(11)	47.8(14)	-0.7(9)	4.3(12)	-4.8(10)
C8	40.2(13)	45.9(11)	48.7(15)	2.2(11)	3.2(12)	0.9(11)
C7	38.2(12)	37.1(10)	47.5(14)	-1.3(10)	5.0(12)	4.0(10)
C17	49.0(15)	56.9(15)	44.4(14)	2.1(12)	2.3(13)	9.9(12)
C1	38.2(13)	42.3(12)	54.0(16)	-4.4(11)	-2.0(13)	-0.4(10)

C3	54.7(16)	51.1(13)	50.2(16)	-10.3(12)	16.3(15)	-12.2(14)
C21	60.5(18)	48.6(14)	52.4(15)	-0.8(13)	-4.7(16)	5.2(13)
C4	53.0(15)	40.9(11)	45.9(15)	-3.0(11)	1.9(13)	-11.0(12)
C16	44.2(16)	96(2)	51.0(17)	-9.8(17)	2.5(16)	14.2(16)
C13	96(3)	45.2(14)	41.0(15)	5.7(11)	9.1(18)	-4.5(15)
C20	92(3)	48.6(15)	61(2)	-8.2(13)	-3(2)	5.4(17)
C19	81(3)	50.9(15)	59.3(18)	5.5(13)	17.9(19)	24.7(16)
C14	76(2)	60.7(17)	51.0(17)	-12.5(14)	22.7(18)	-23.3(17)
C15	47.7(17)	105(3)	72(2)	-26(2)	12.9(19)	3(2)
C18	55.8(18)	66.1(17)	60.8(19)	8.6(15)	2.3(16)	21.0(15)

**Table 4 Bond Lengths for cu\_20181219LMF\_0m\_a.**

Atom	Atom	Length/Å	Atom	Atom	Length/Å
C11	C4	1.742(3)	C22	C21	1.386(4)
O2	C24	1.348(3)	C22	C17	1.393(4)
O2	C10	1.461(3)	C2	C3	1.379(5)
O1	C24	1.193(4)	C2	C1	1.379(5)
N1	C23	1.275(4)	C12	C13	1.391(5)
N1	C10	1.450(3)	C5	C4	1.376(4)
C11	C12	1.373(4)	C8	C7	1.313(4)
C11	C16	1.385(5)	C17	C18	1.375(4)
C11	C10	1.509(4)	C3	C4	1.386(5)
C10	C9	1.549(4)	C21	C20	1.381(5)
C6	C5	1.387(4)	C16	C15	1.393(5)
C6	C1	1.403(4)	C13	C14	1.371(6)
C6	C7	1.466(4)	C20	C19	1.375(6)

C23	C22	1.468(4)	C19	C18	1.379(6)
C23	C24	1.513(4)	C14	C15	1.357(6)
C9	C8	1.492(4)			

**Table 5 Bond Angles for cu\_20181219LMF\_0m\_a.**

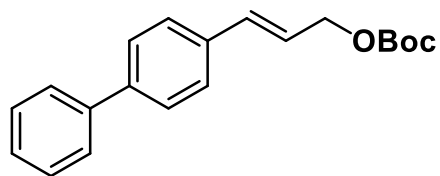
Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C24	O2	C10	108.37(19)	C21	C22	C23	122.5(3)
C23	N1	C10	108.7(2)	C17	C22	C23	118.6(3)
C12	C11	C16	118.8(3)	C3	C2	C1	121.5(3)
C12	C11	C10	121.1(3)	C11	C12	C13	121.0(3)
C16	C11	C10	120.0(3)	C4	C5	C6	120.7(3)
N1	C10	O2	106.3(2)	C7	C8	C9	124.5(3)
N1	C10	C11	110.9(2)	C8	C7	C6	127.2(2)
O2	C10	C11	109.6(2)	C18	C17	C22	120.6(3)
N1	C10	C9	110.1(2)	C2	C1	C6	120.1(3)
O2	C10	C9	107.2(2)	C2	C3	C4	118.2(3)
C11	C10	C9	112.4(2)	C20	C21	C22	120.1(3)
C5	C6	C1	118.2(3)	C5	C4	C3	121.2(3)
C5	C6	C7	118.9(2)	C5	C4	C11	119.2(2)
C1	C6	C7	122.9(3)	C3	C4	C11	119.5(2)
N1	C23	C22	123.6(2)	C11	C16	C15	119.7(4)
N1	C23	C24	110.8(2)	C14	C13	C12	119.7(3)
C22	C23	C24	125.5(2)	C19	C20	C21	120.5(3)
C8	C9	C10	112.0(2)	C20	C19	C18	119.7(3)
O1	C24	O2	123.3(3)	C15	C14	C13	119.9(3)
O1	C24	C23	131.2(3)	C14	C15	C16	120.9(4)

O2	C24	C23	105.5(2)	C17	C18	C19	120.2(3)
C21	C22	C17	118.8(3)				

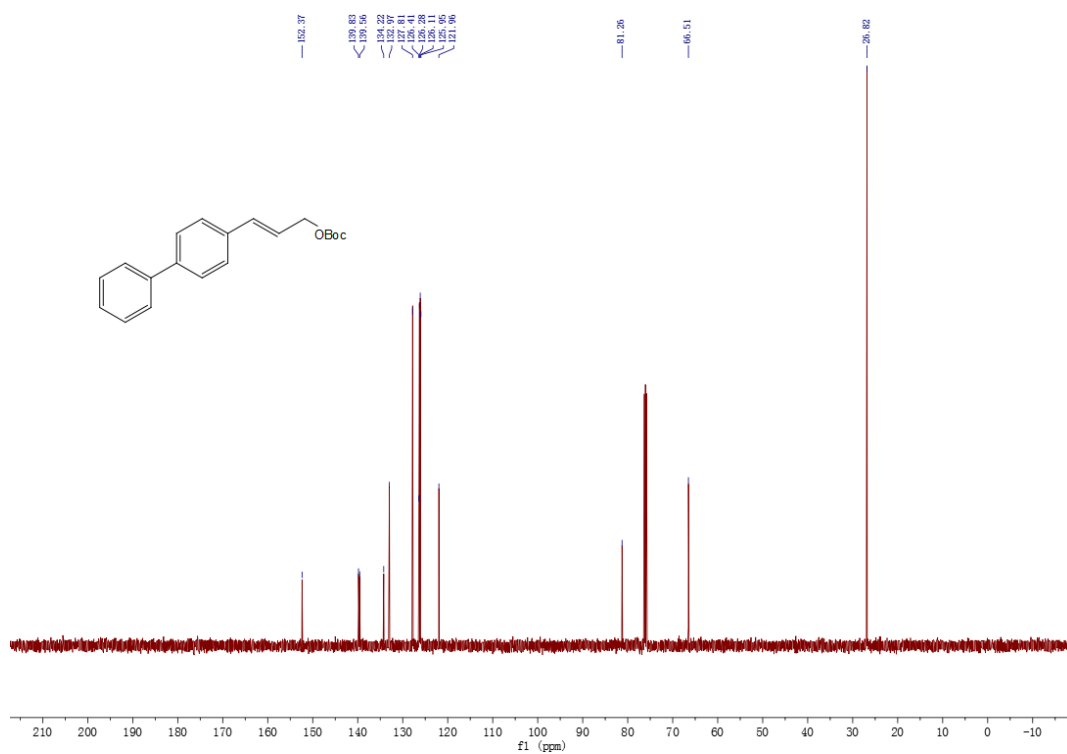
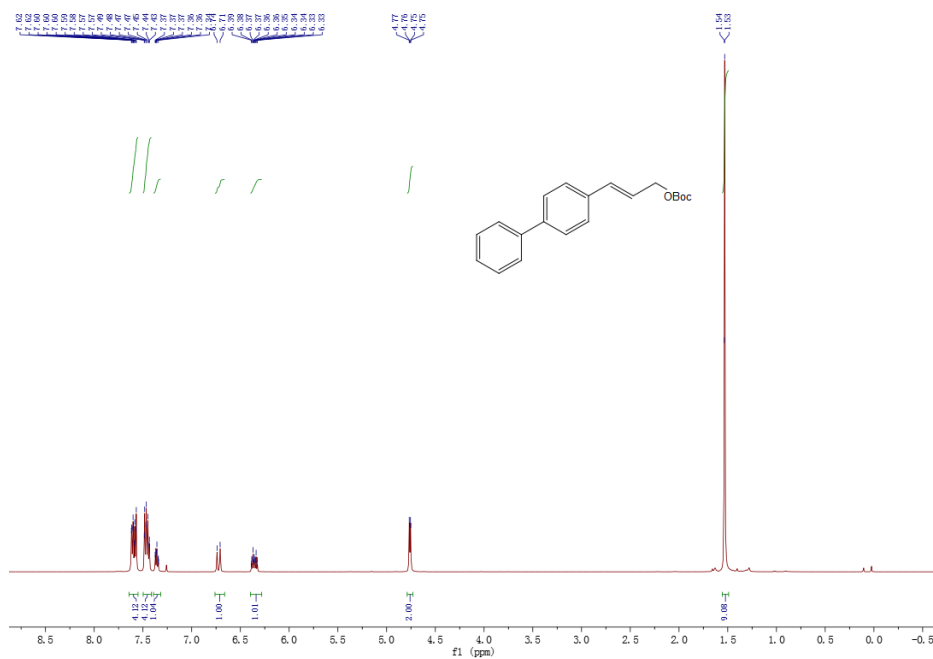
**Table 6 Hydrogen Atom Coordinates ( $\text{\AA} \times 10^4$ ) and Isotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for cu\_20181219LMF\_0m\_a.**

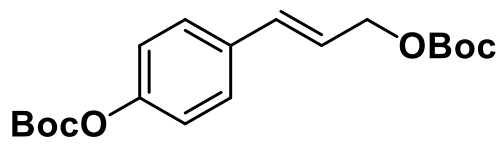
Atom	x	y	z	U(eq)
H9A	2328.48	6848.46	6929.28	53
H9B	4448.82	6560.24	7335.49	53
H2	9401.91	5981.89	4151.11	61
H12	3644.59	5117.09	8372.37	58
H5	2386.06	7024.25	4418.91	49
H8	6105.53	6192.23	6281.23	54
H7	2518.32	6890.05	5671.21	49
H17	7097.56	4045.59	6951.82	60
H1	7923.65	6107.37	5267.01	54
H3	7397.4	6346.15	3160.35	62
H21	2274.35	3442.14	5593.54	65
H16	-1648.48	6189.91	7543.95	76
H13	2033.13	5176.08	9484.69	73
H20	4170.04	2297.6	5332.04	81
H19	7497.03	2021.36	5879.15	76
H14	-1438.74	5725	9618.13	75
H15	-3261.93	6221.54	8658.55	90
H18	8961.47	2900.43	6687.44	73

Spectral Data

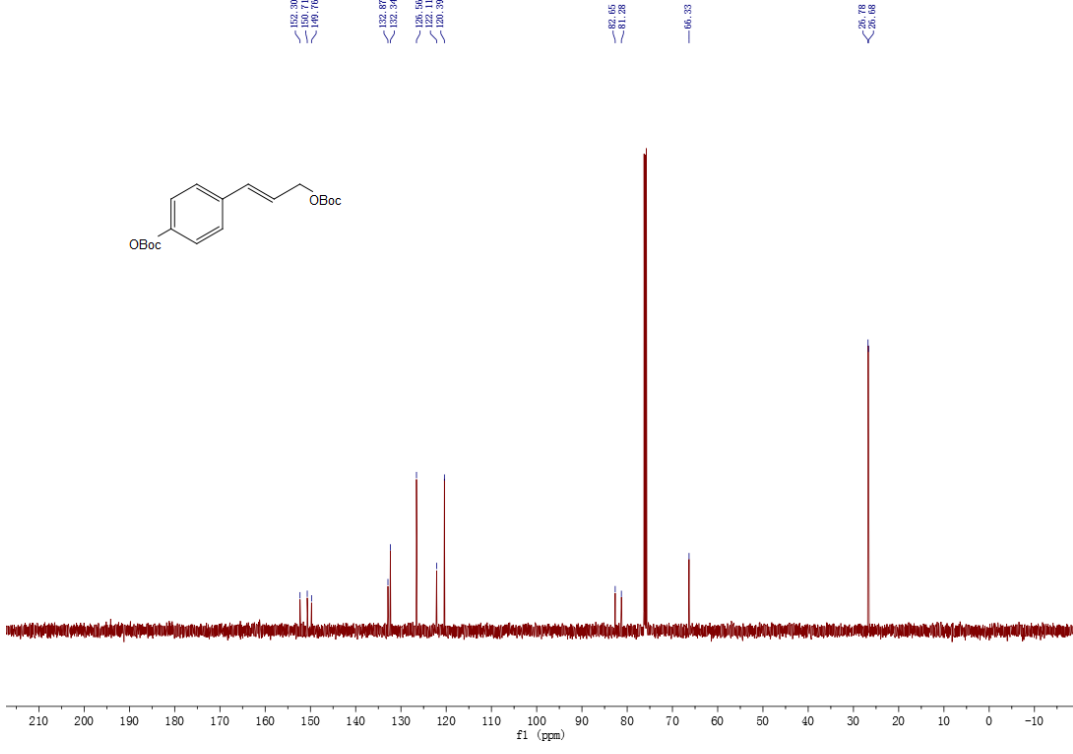
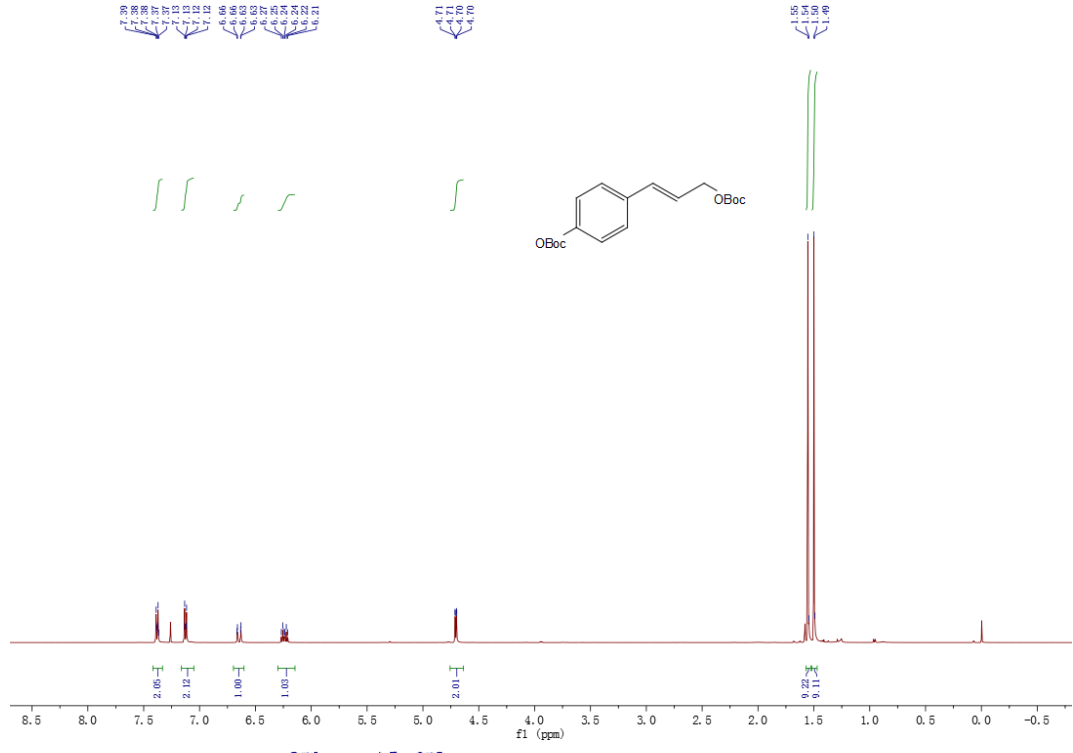


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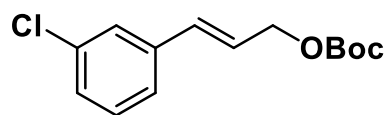




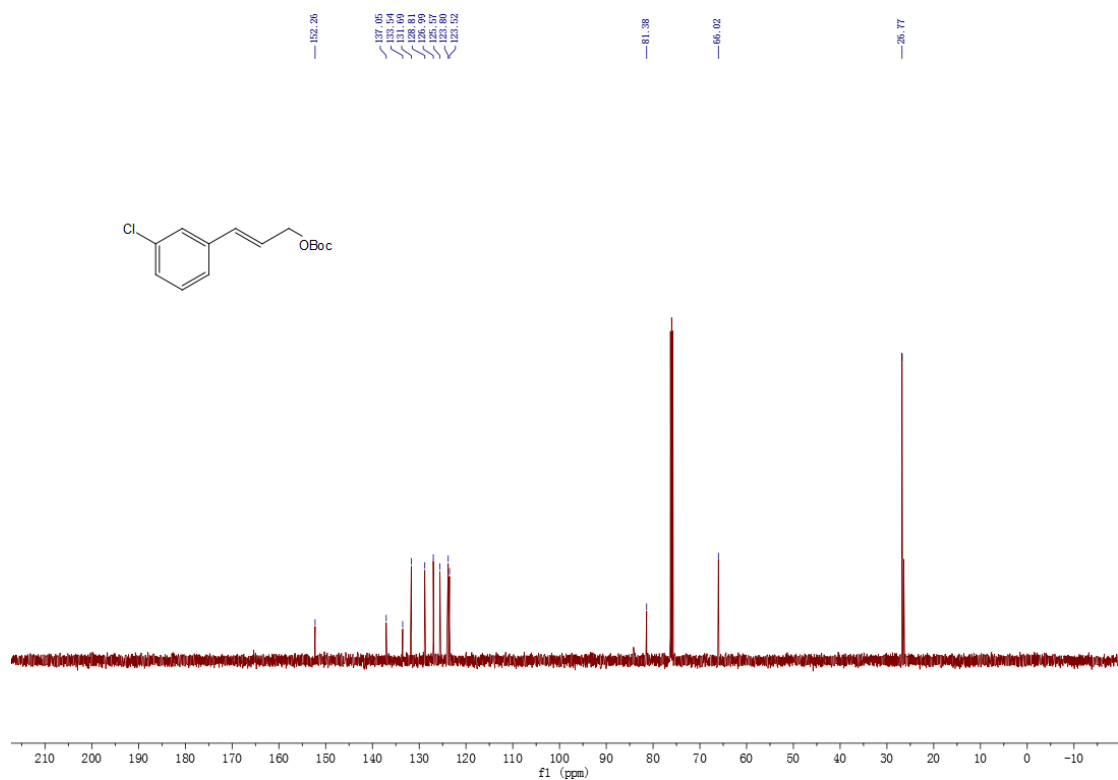
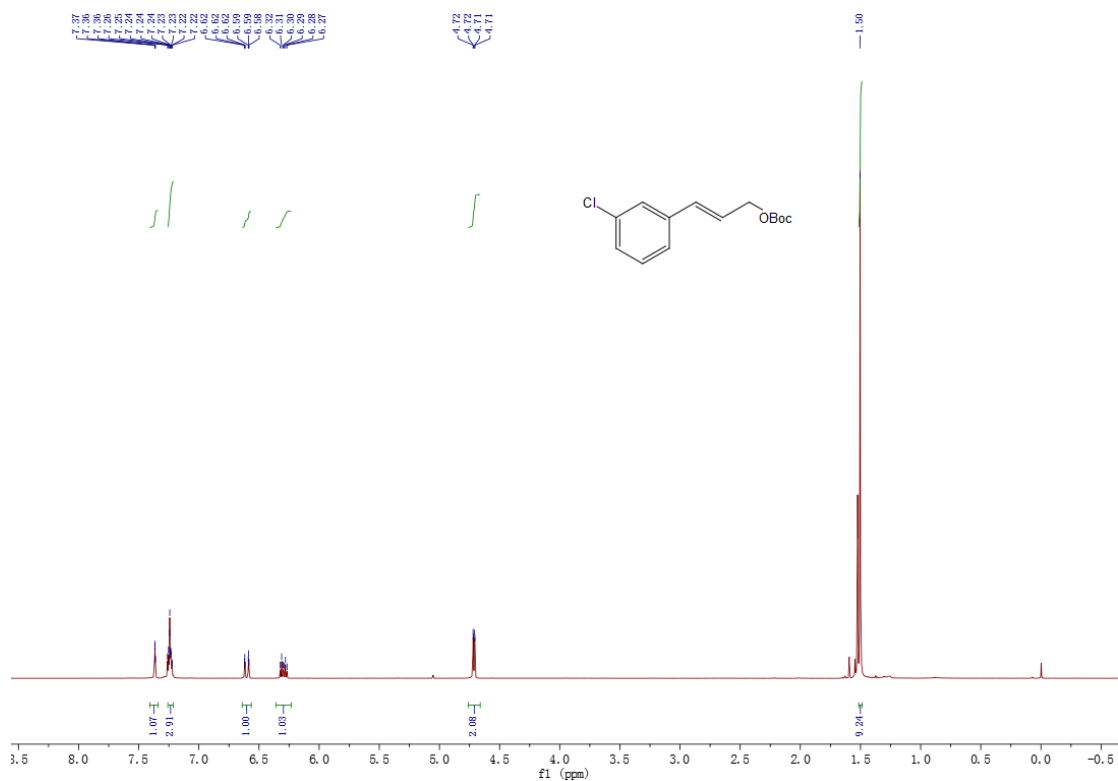
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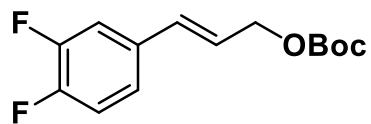




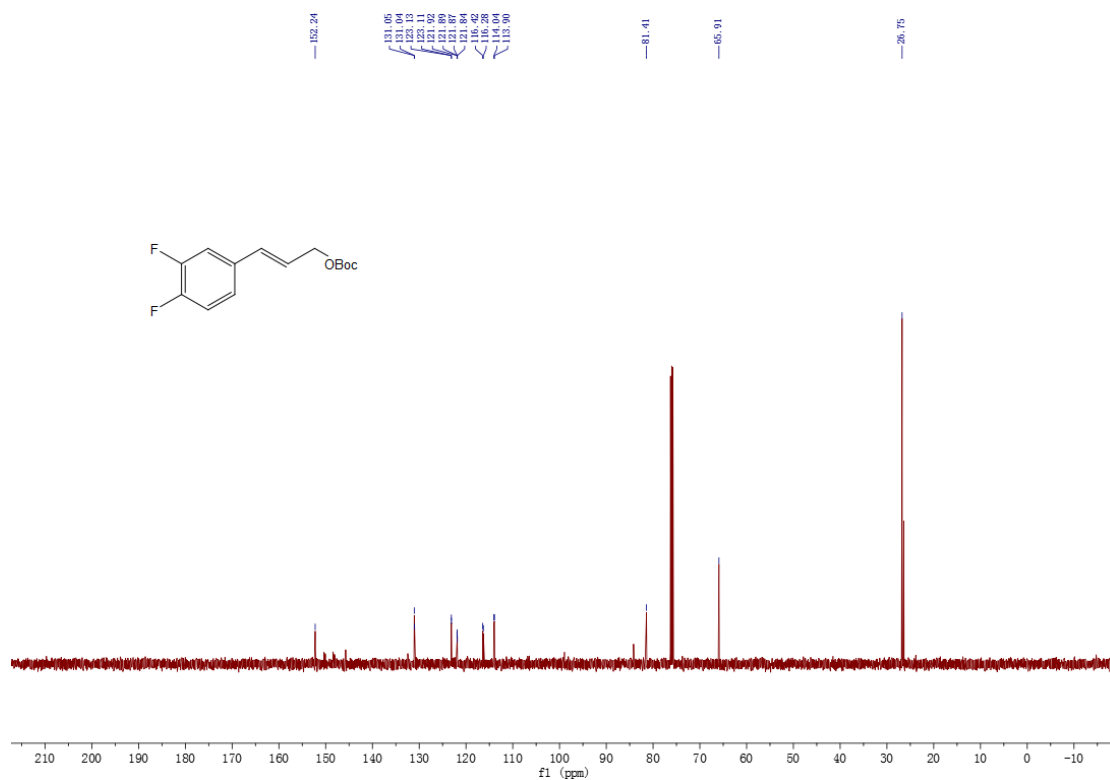
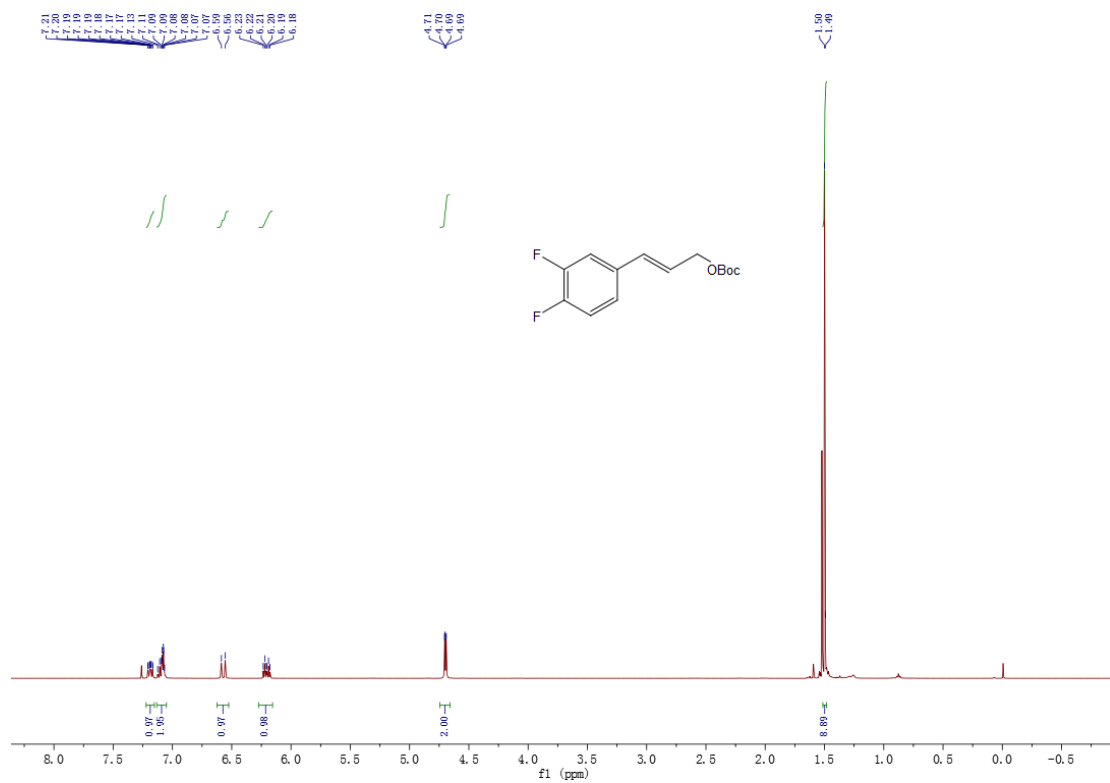


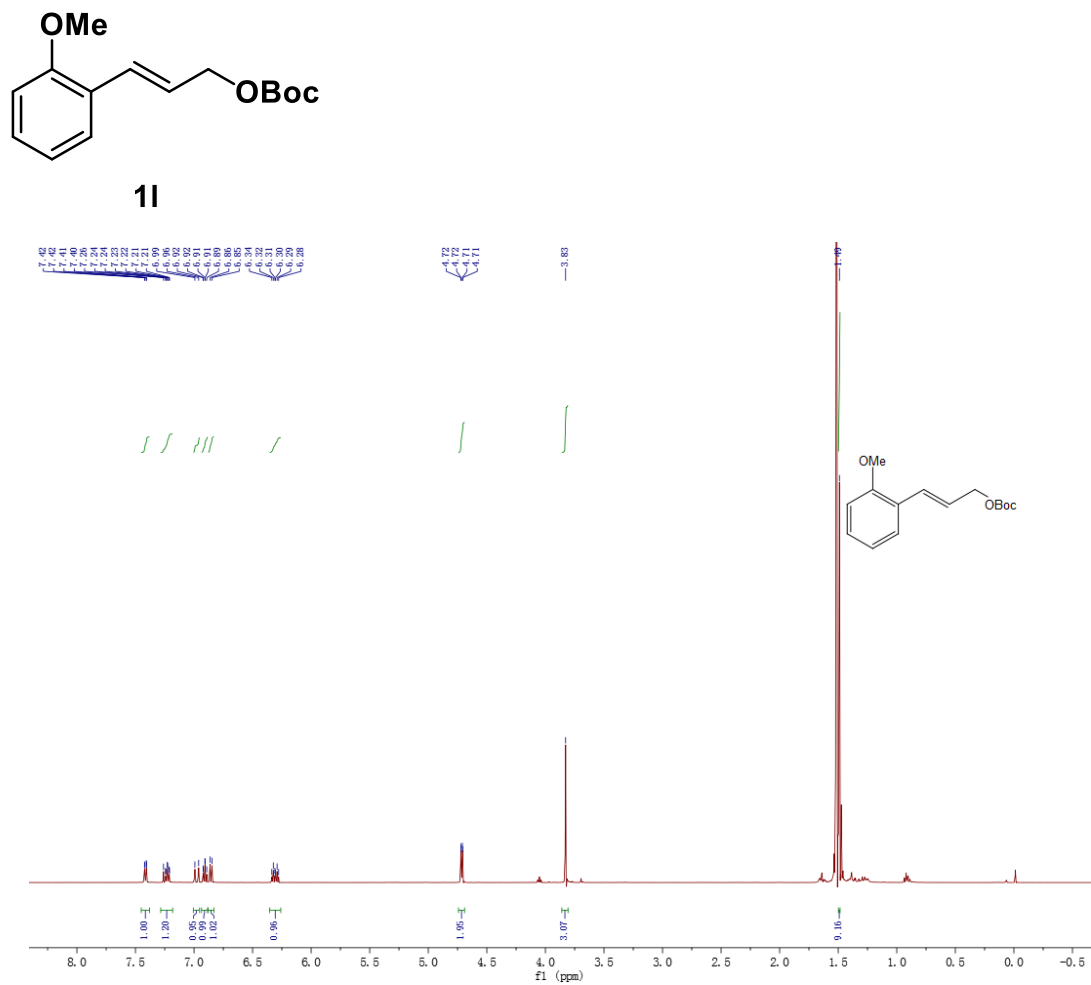
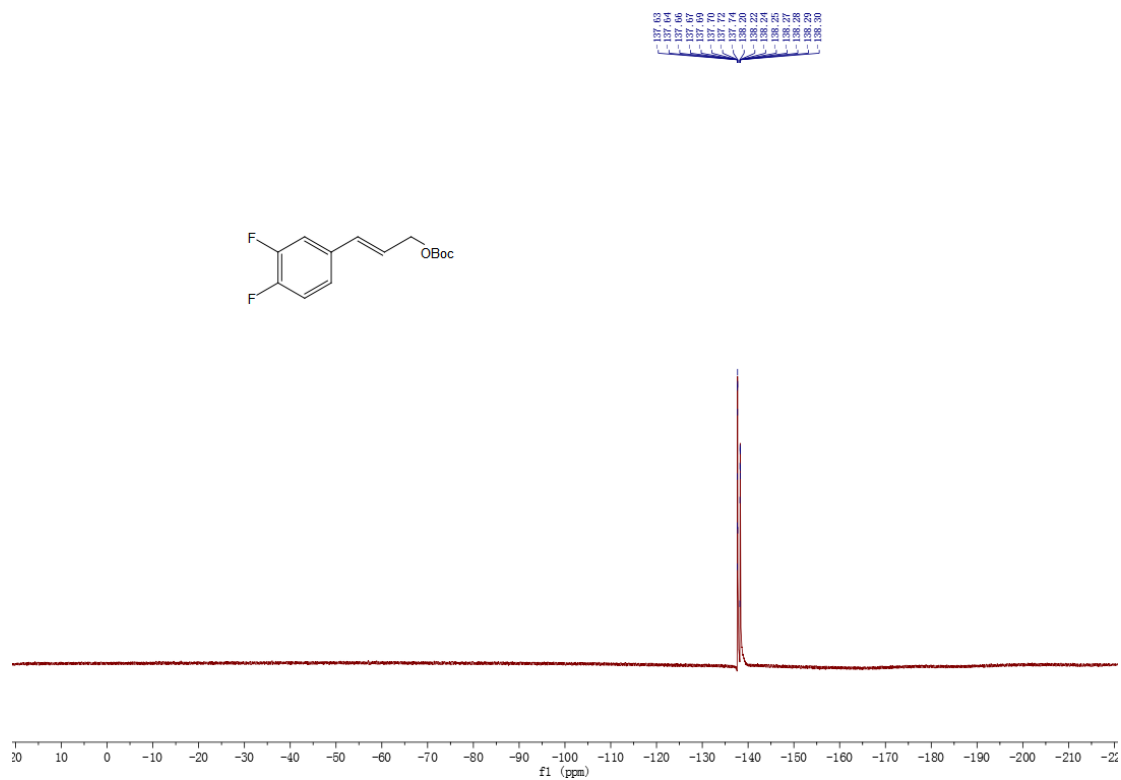
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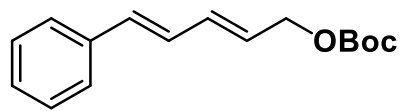
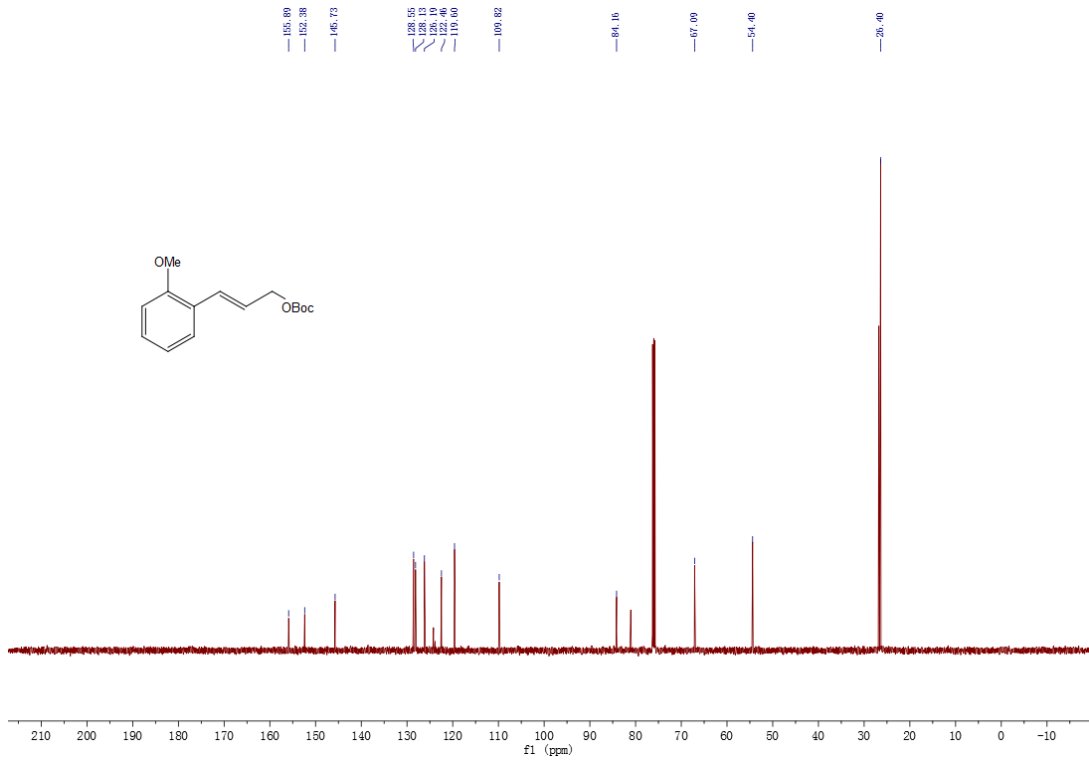




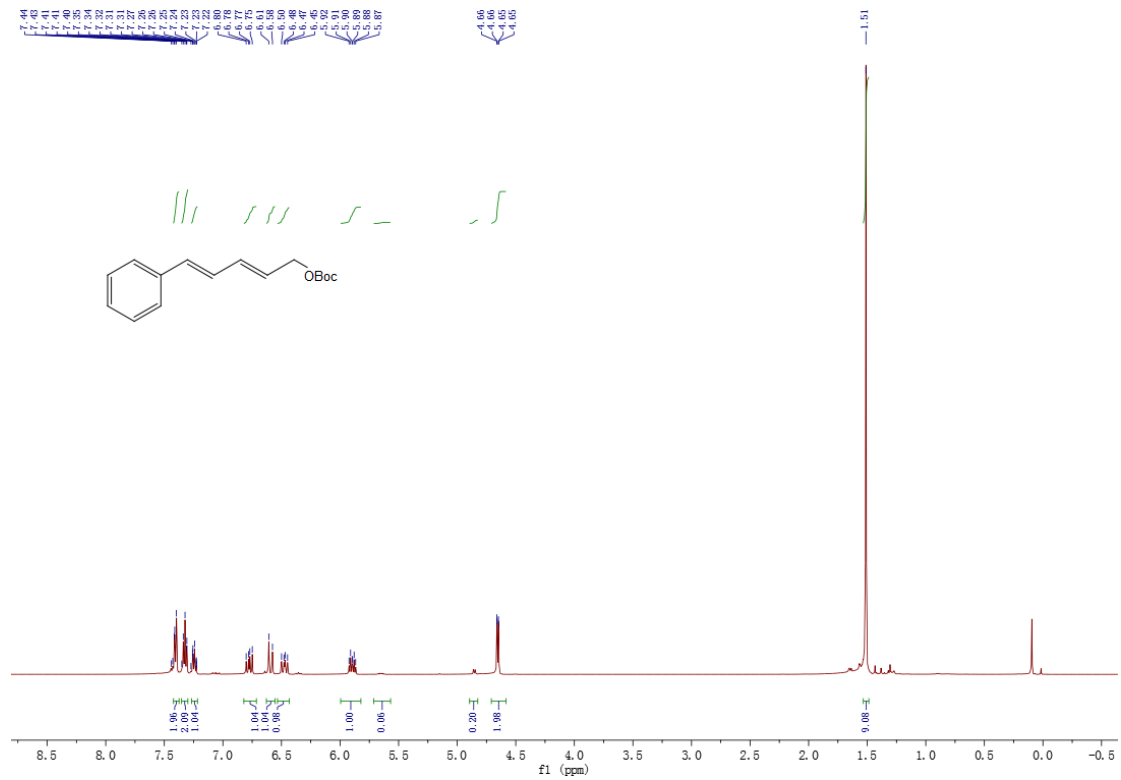
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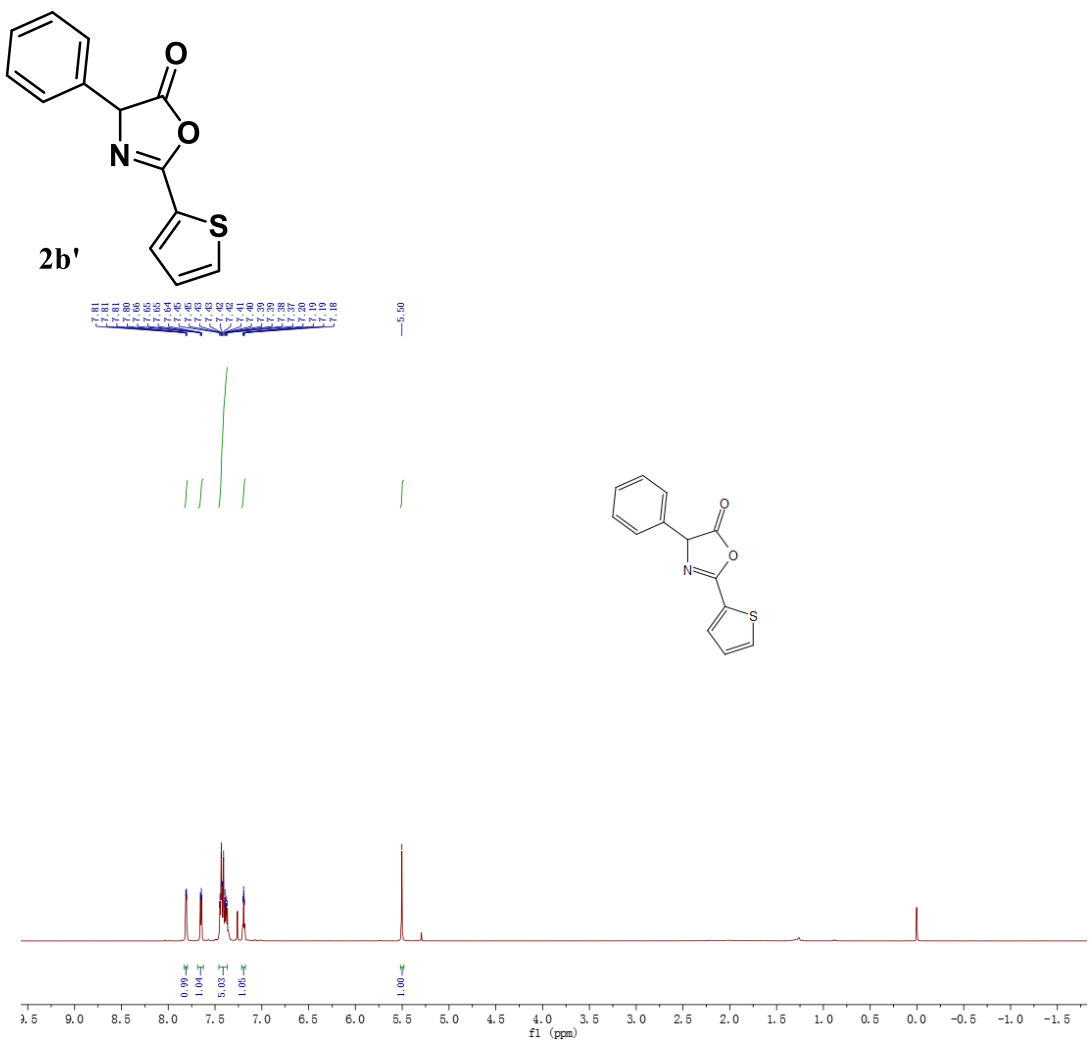
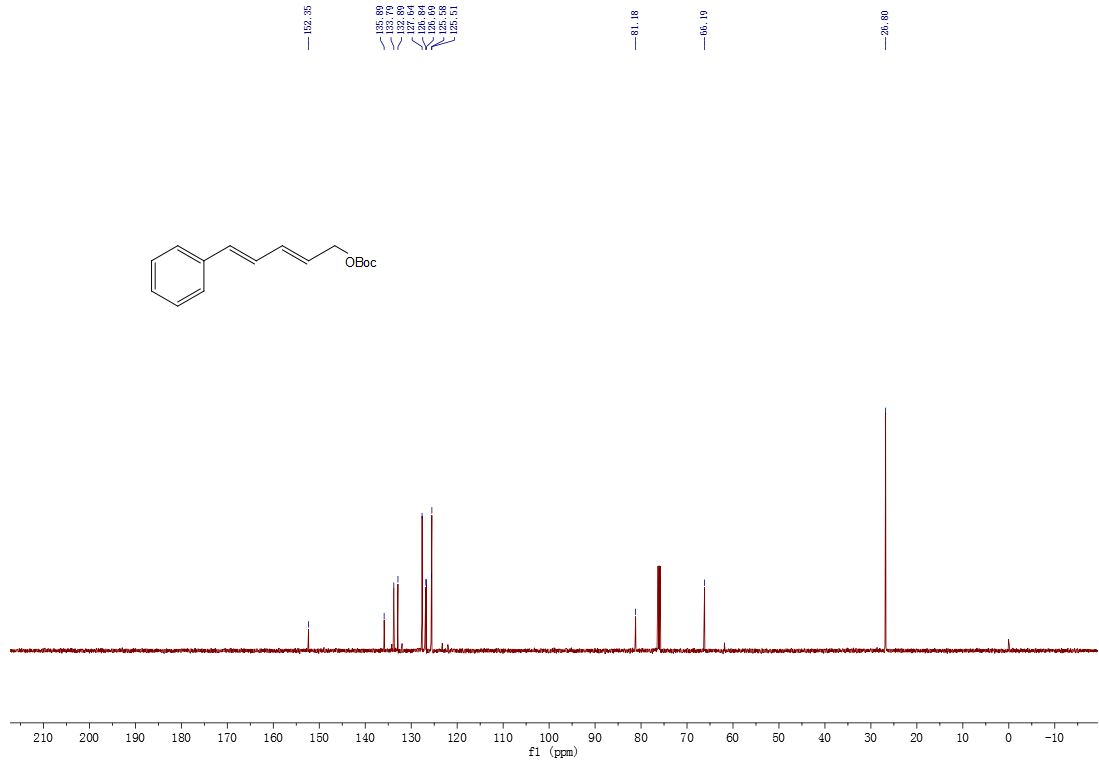


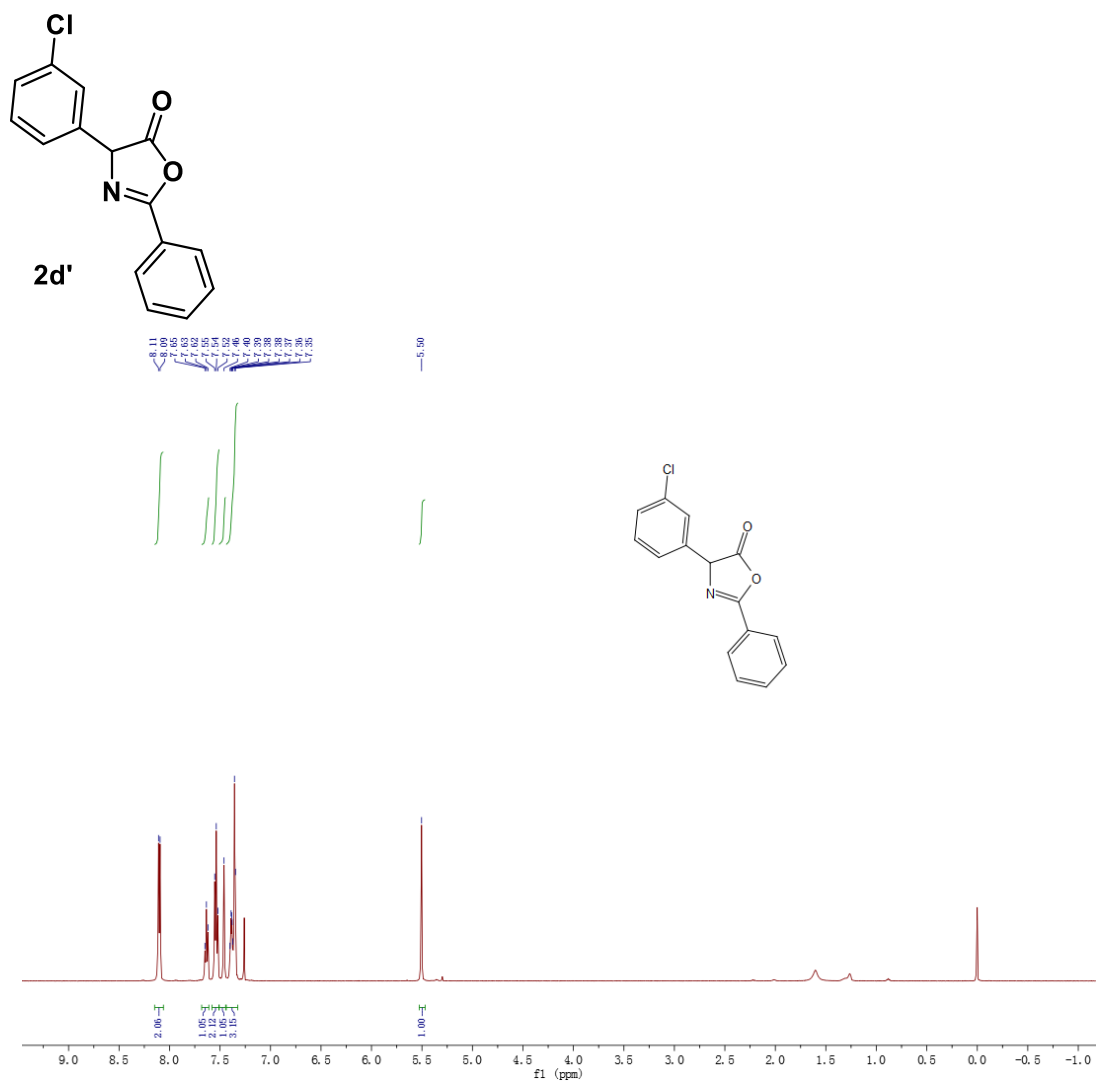
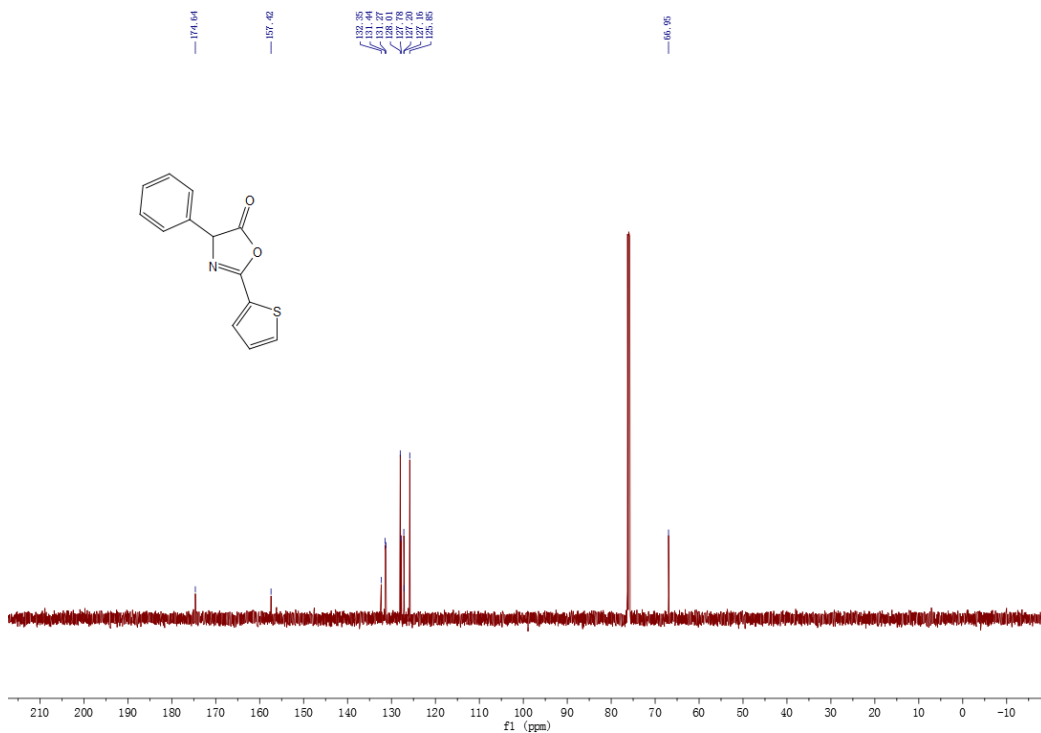


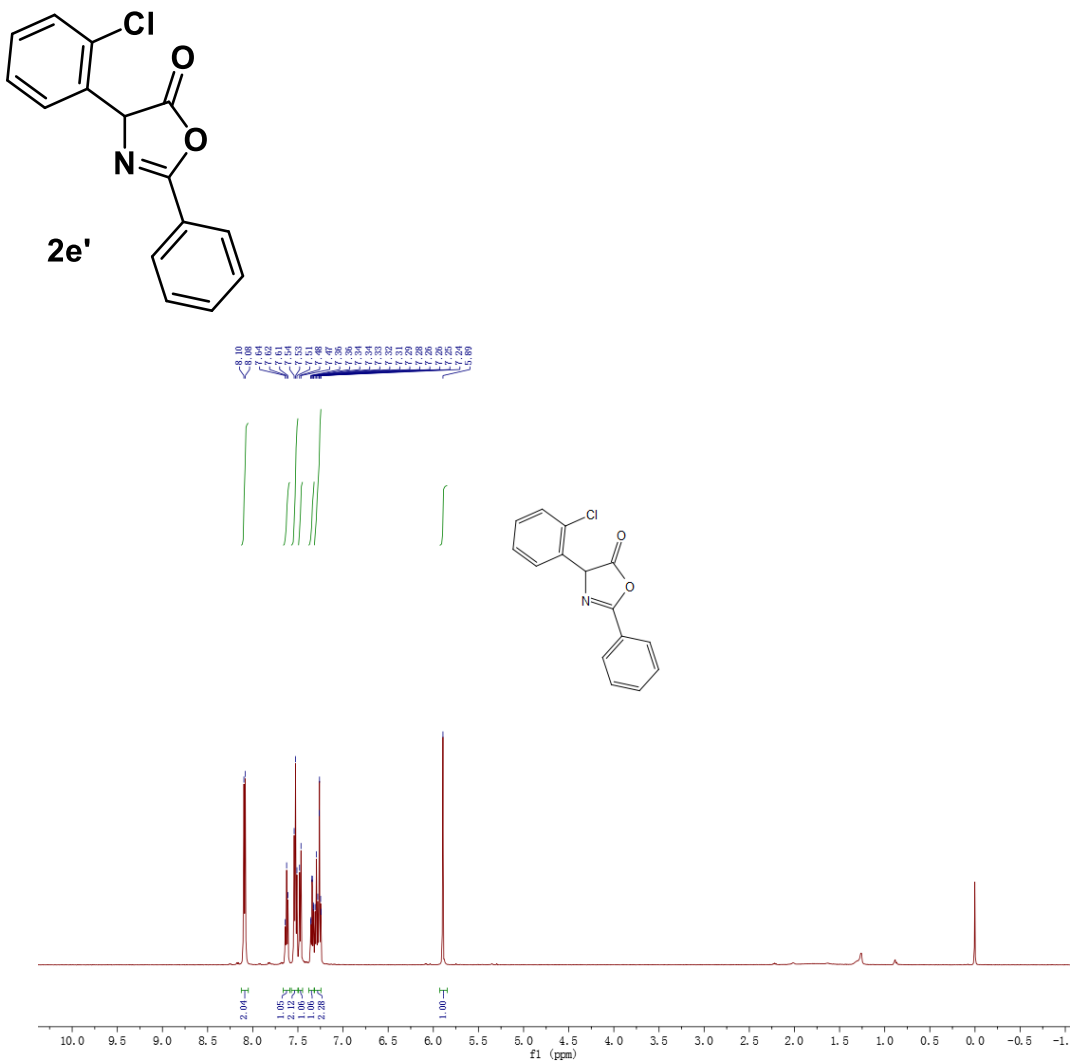
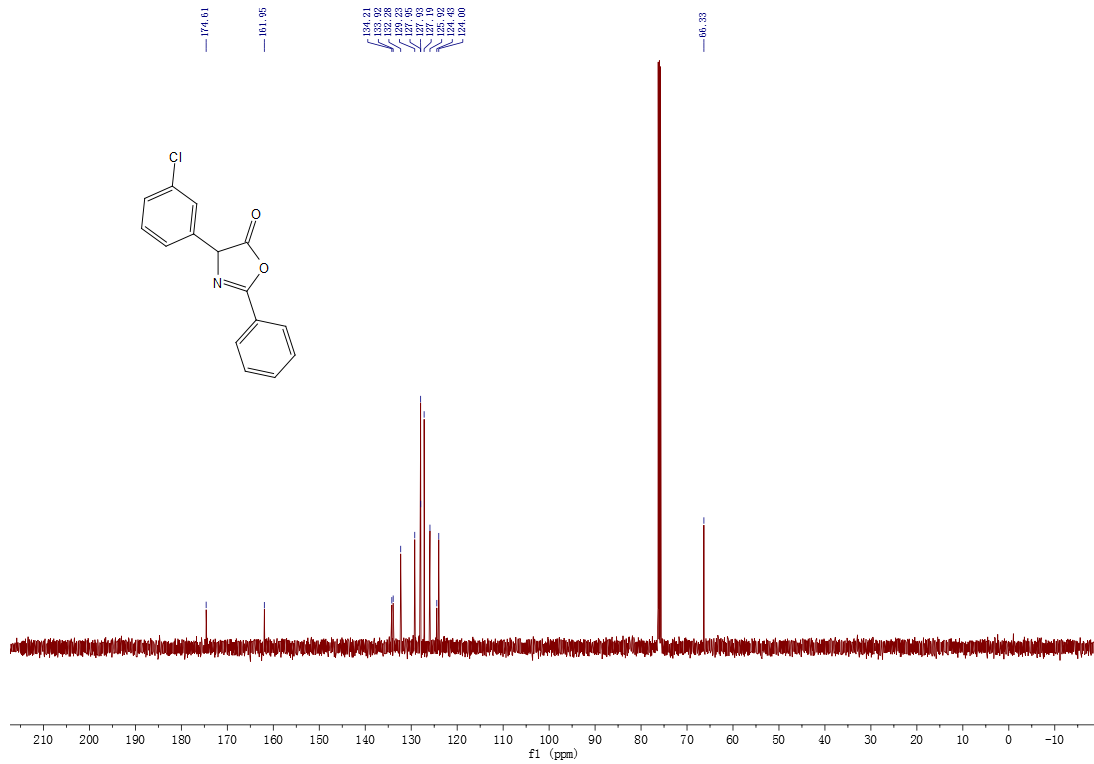


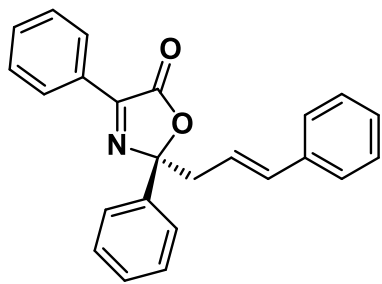
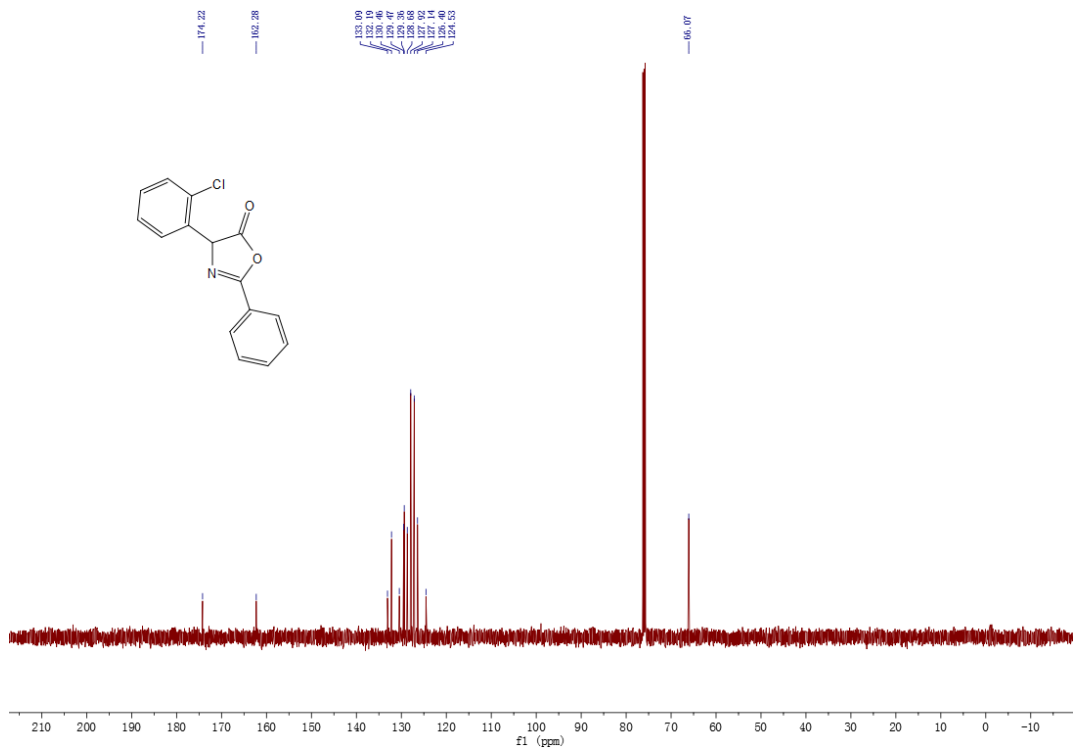
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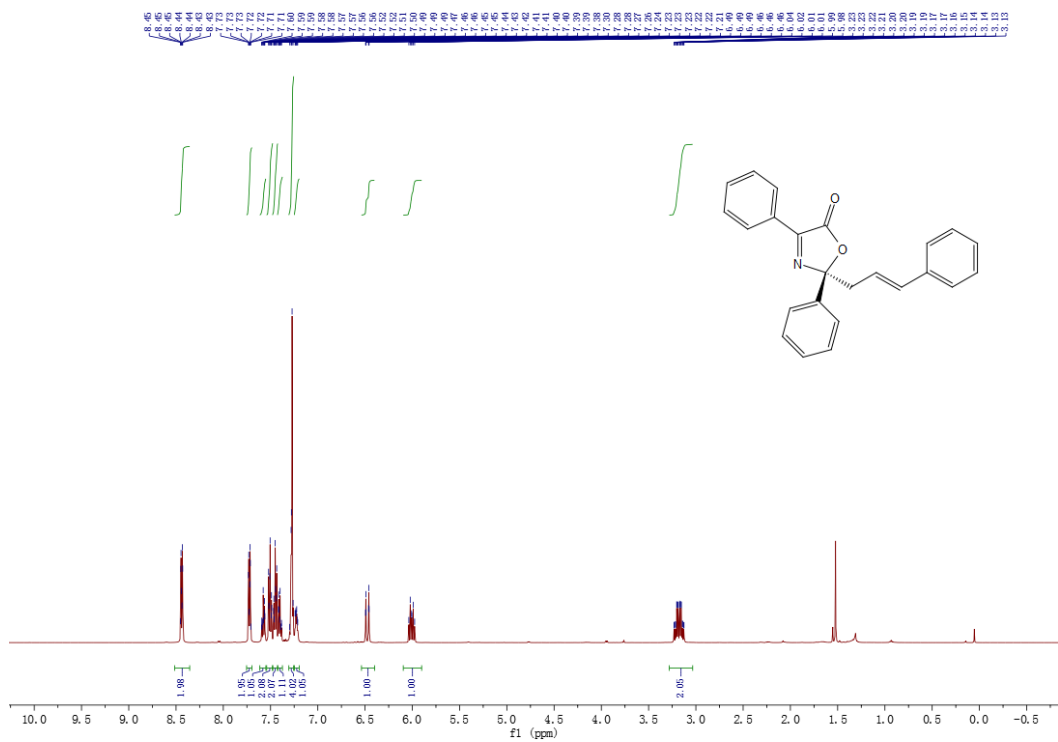




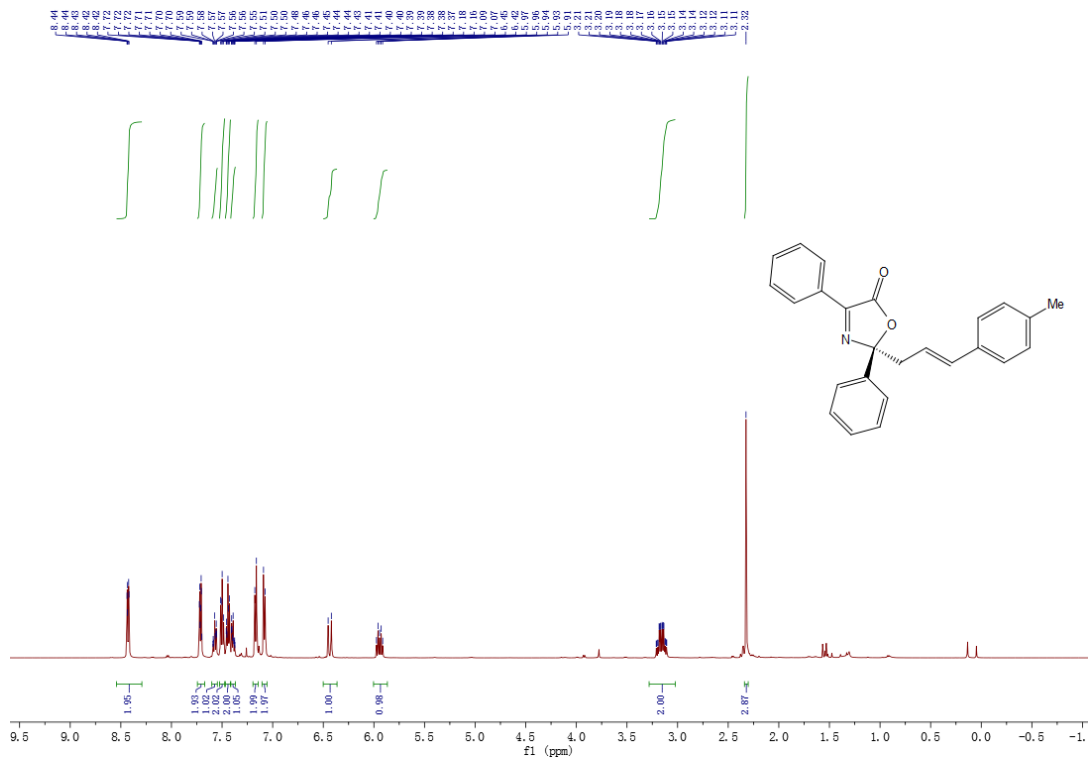
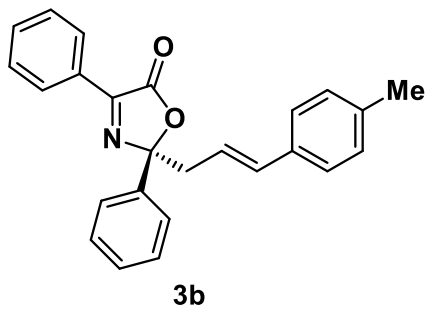
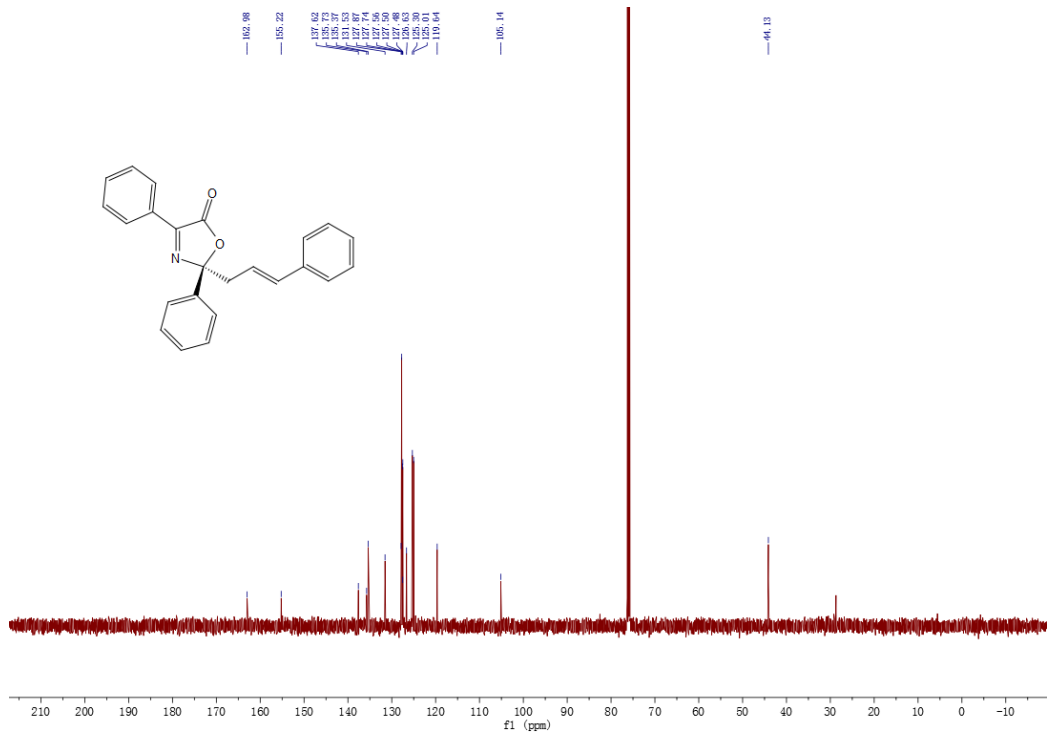


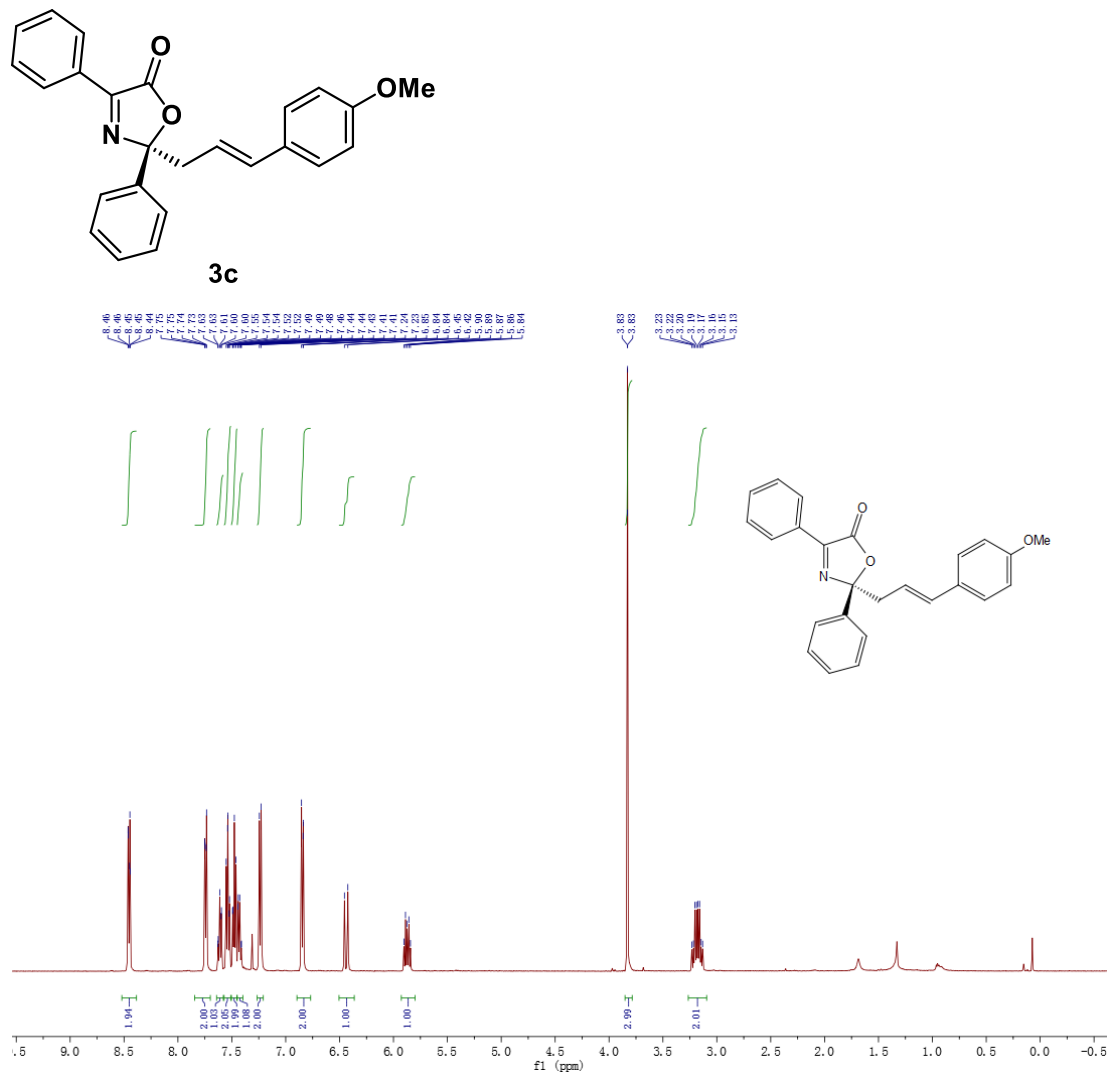
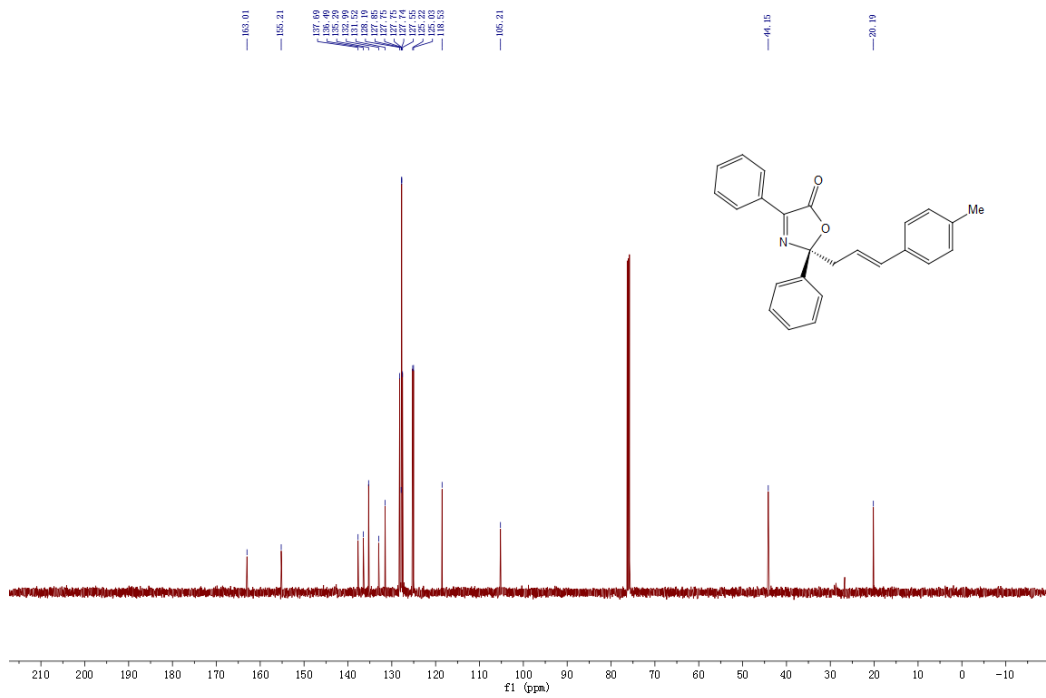


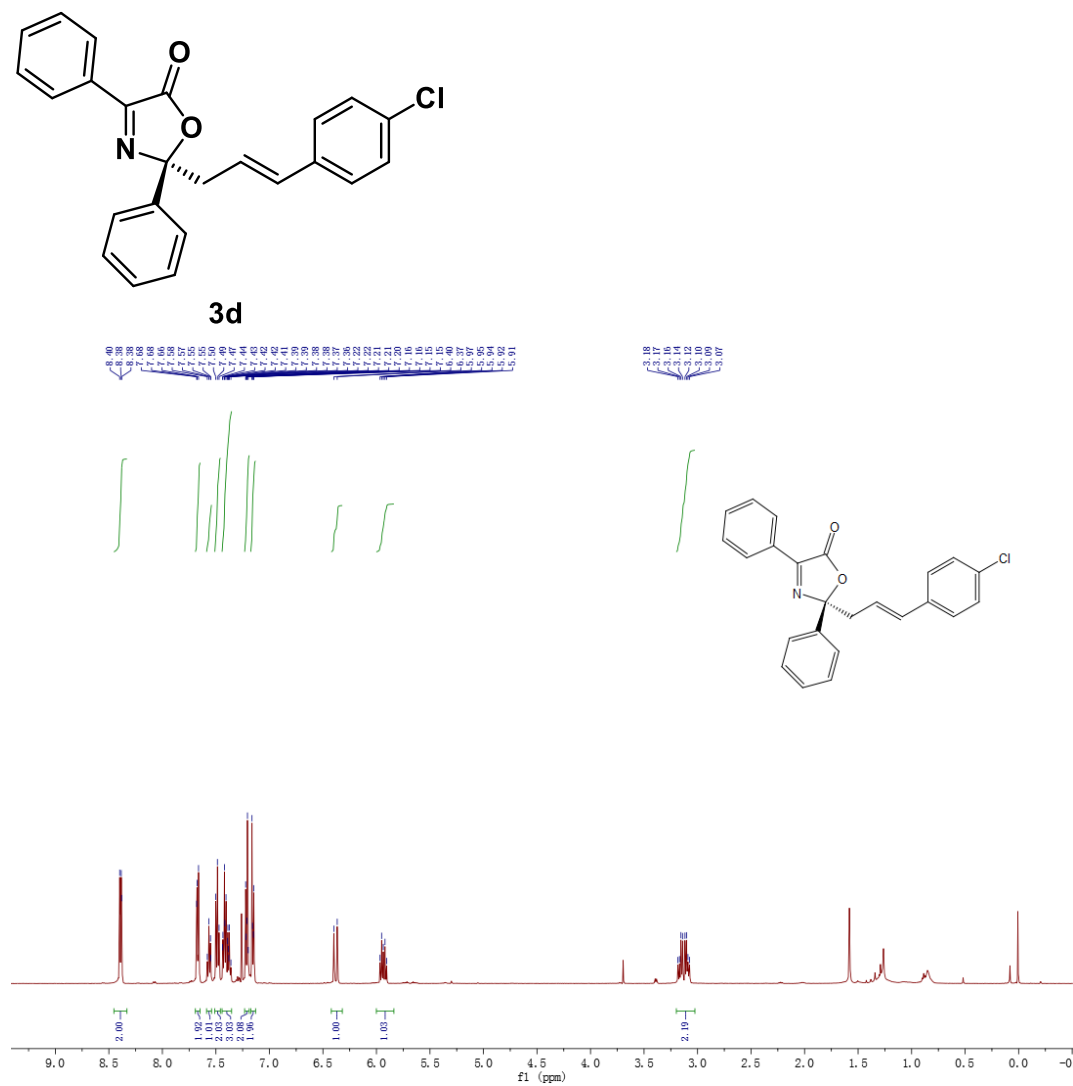
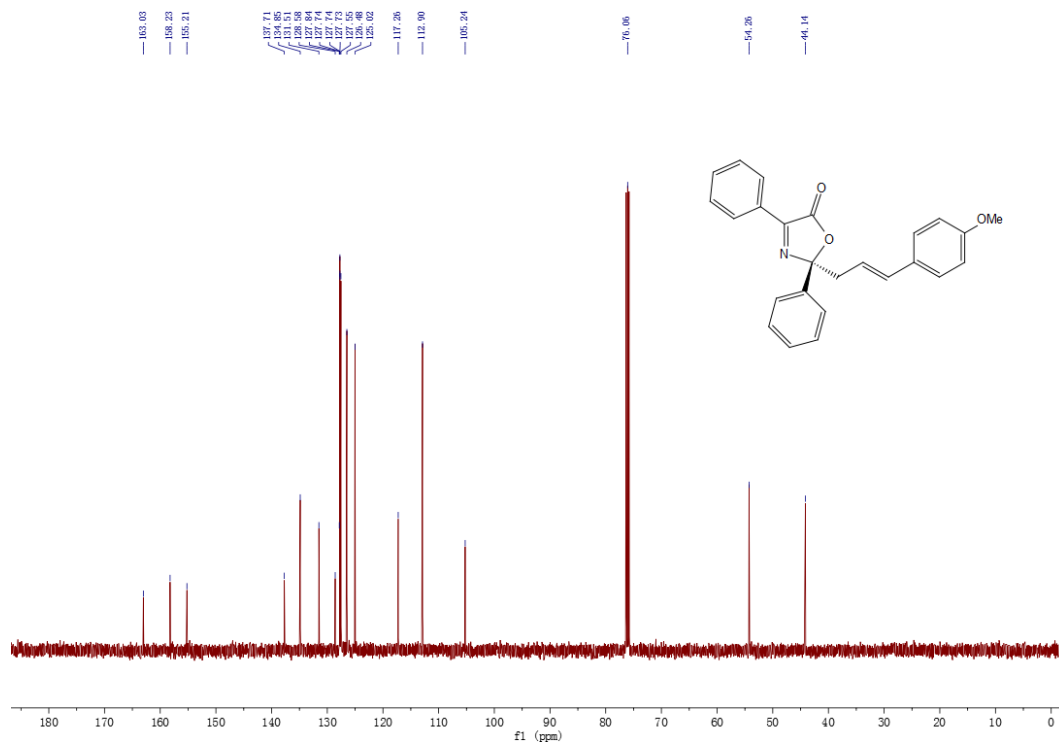
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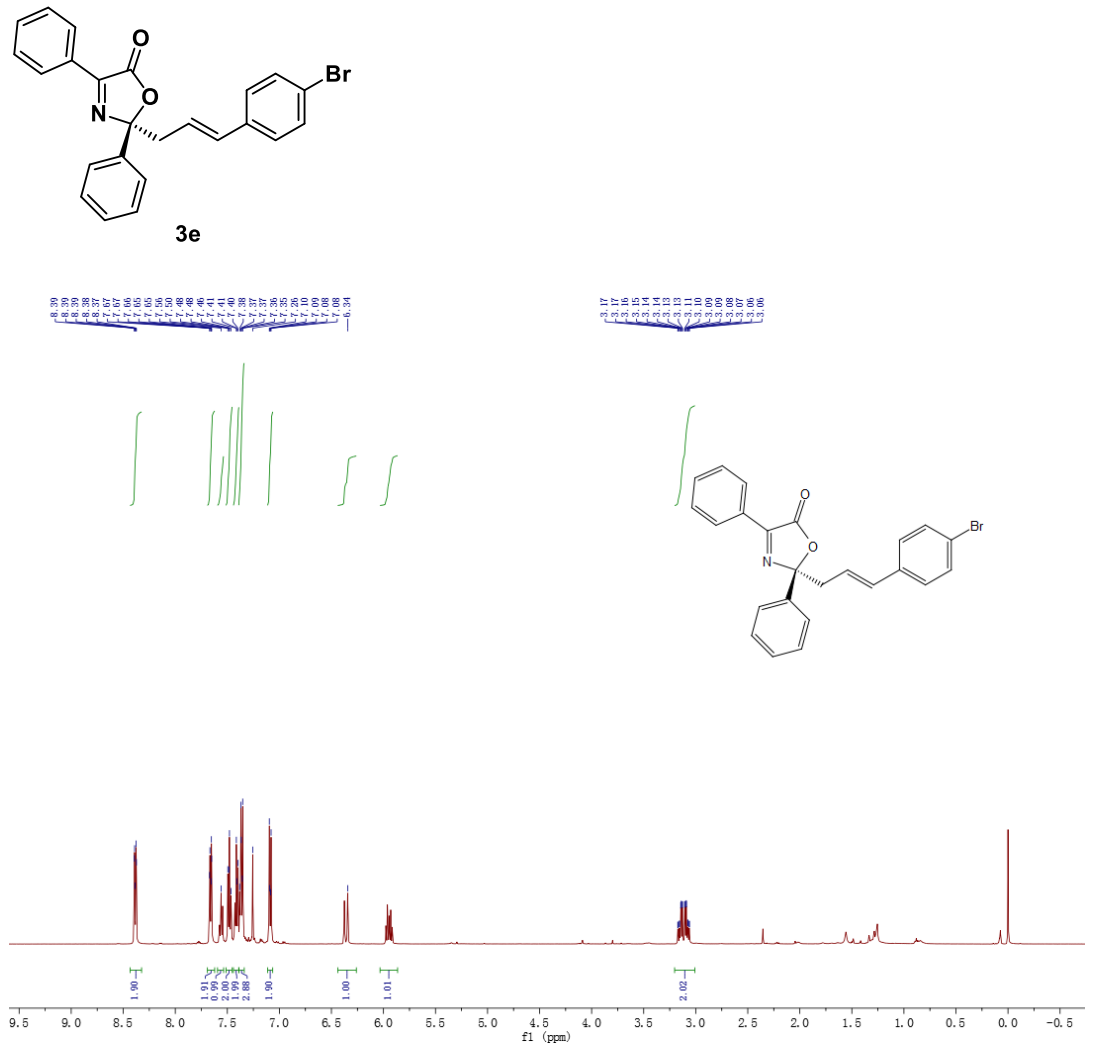
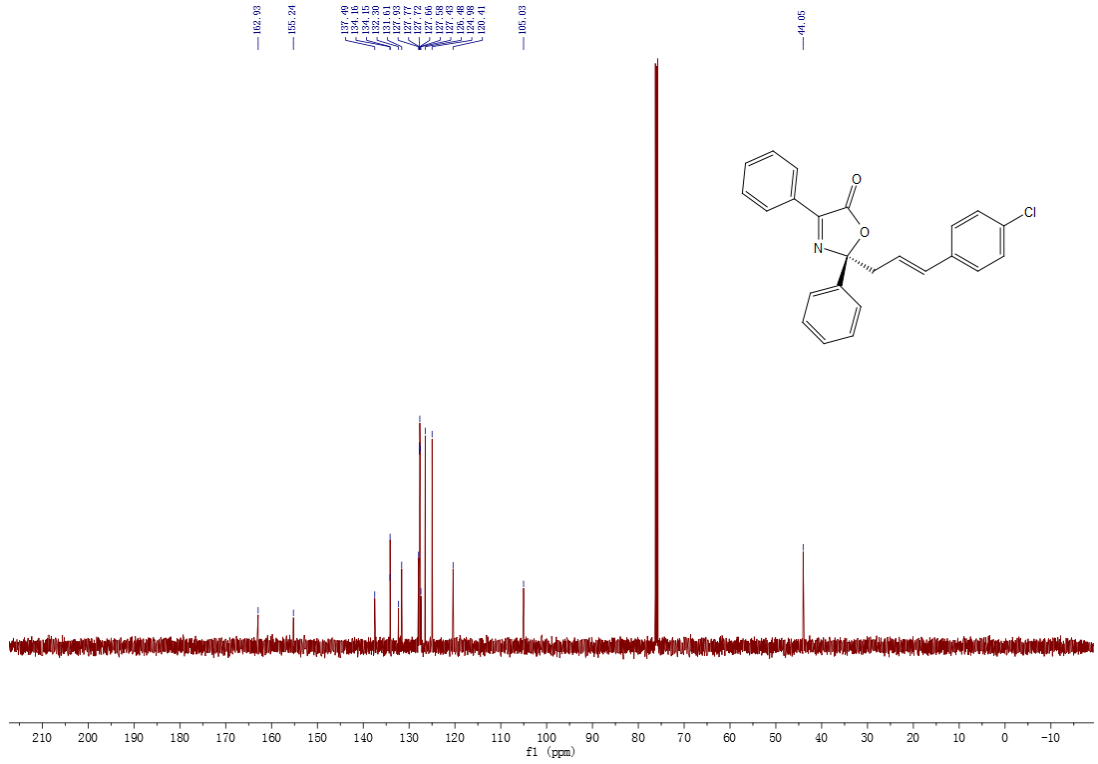


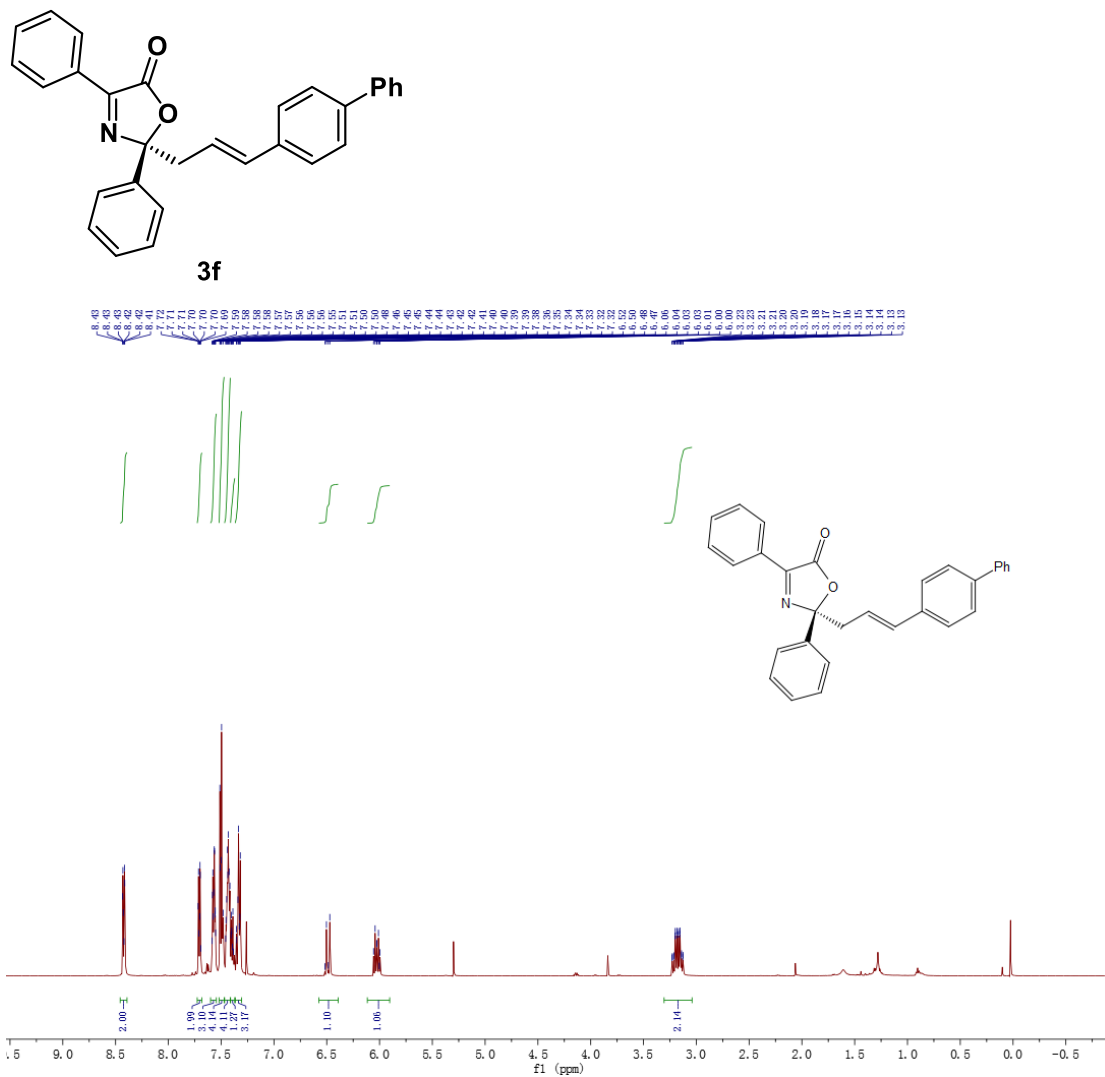
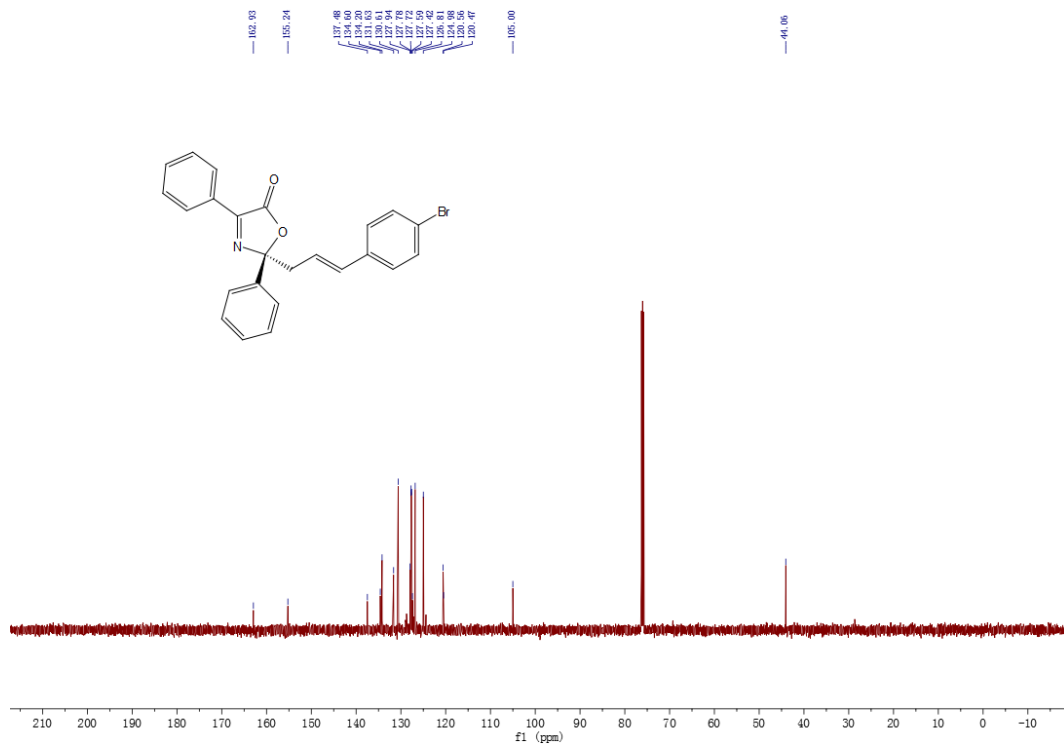


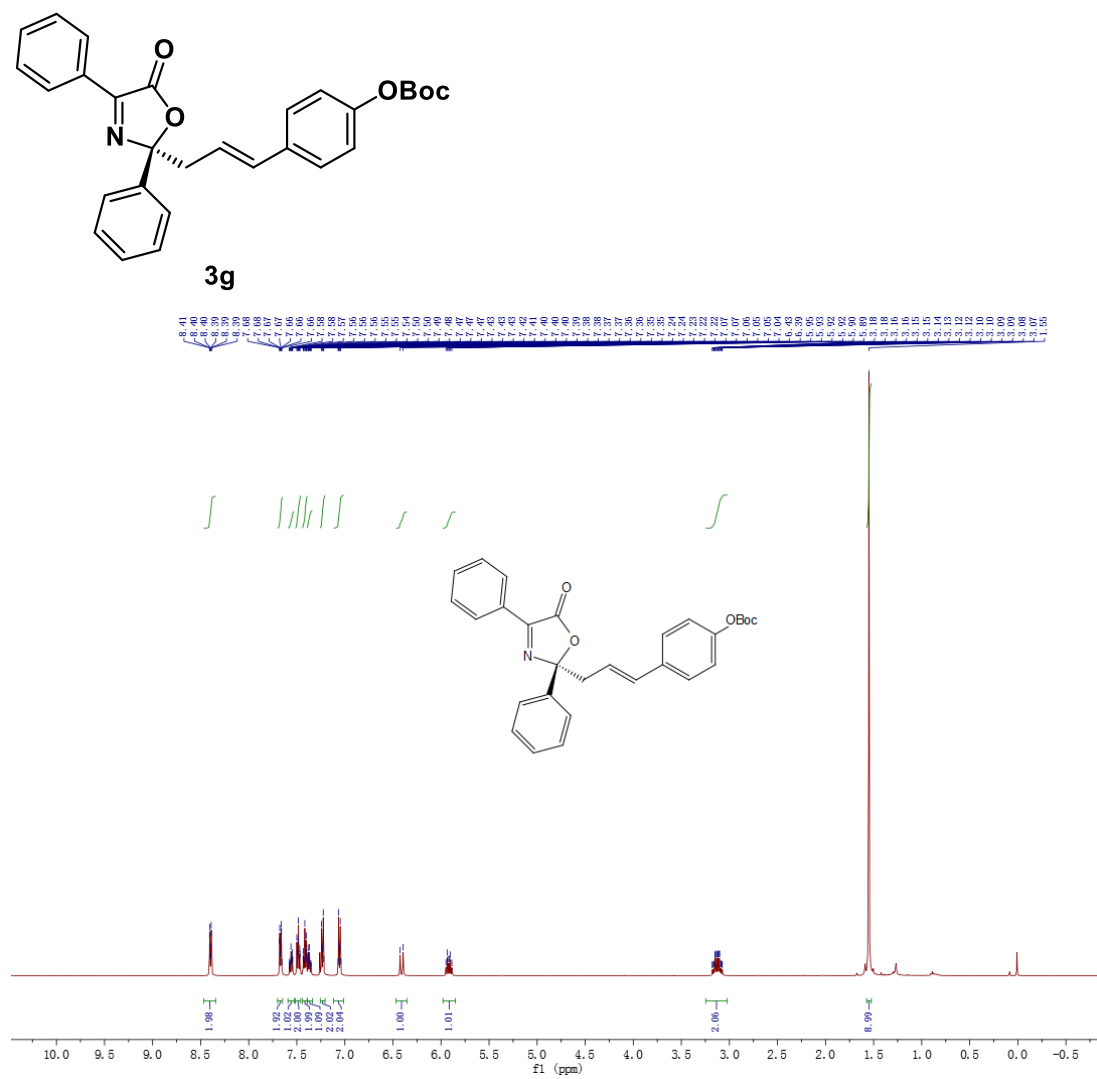
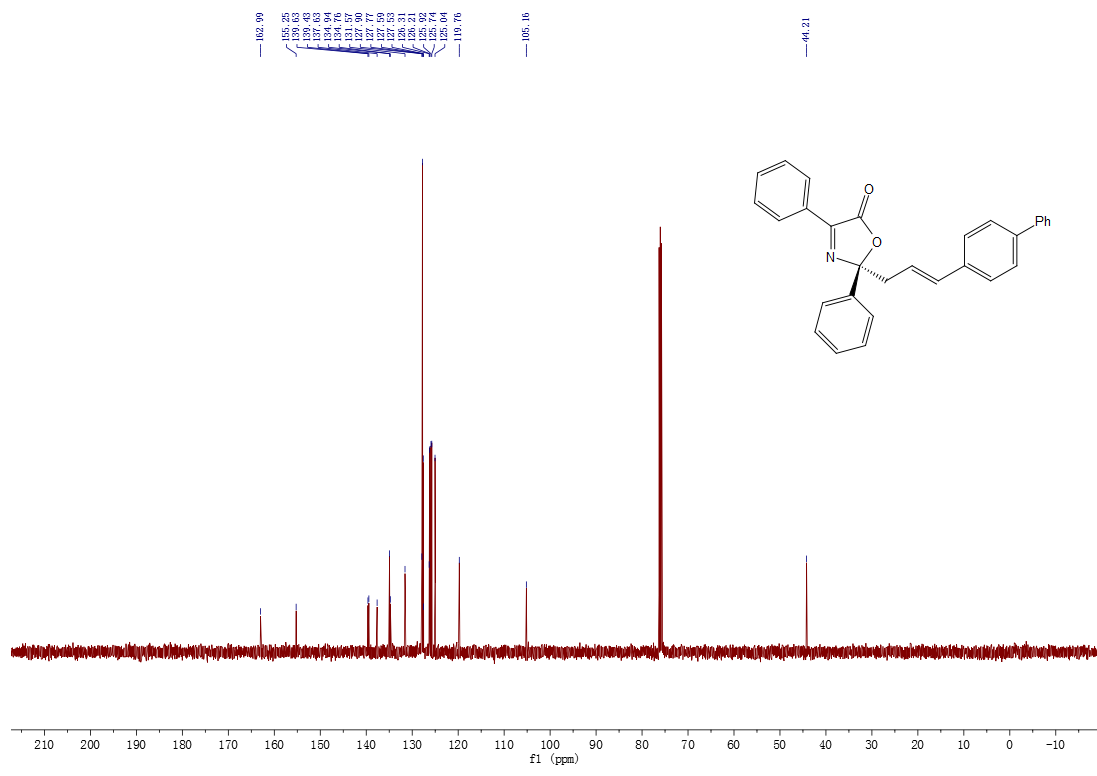


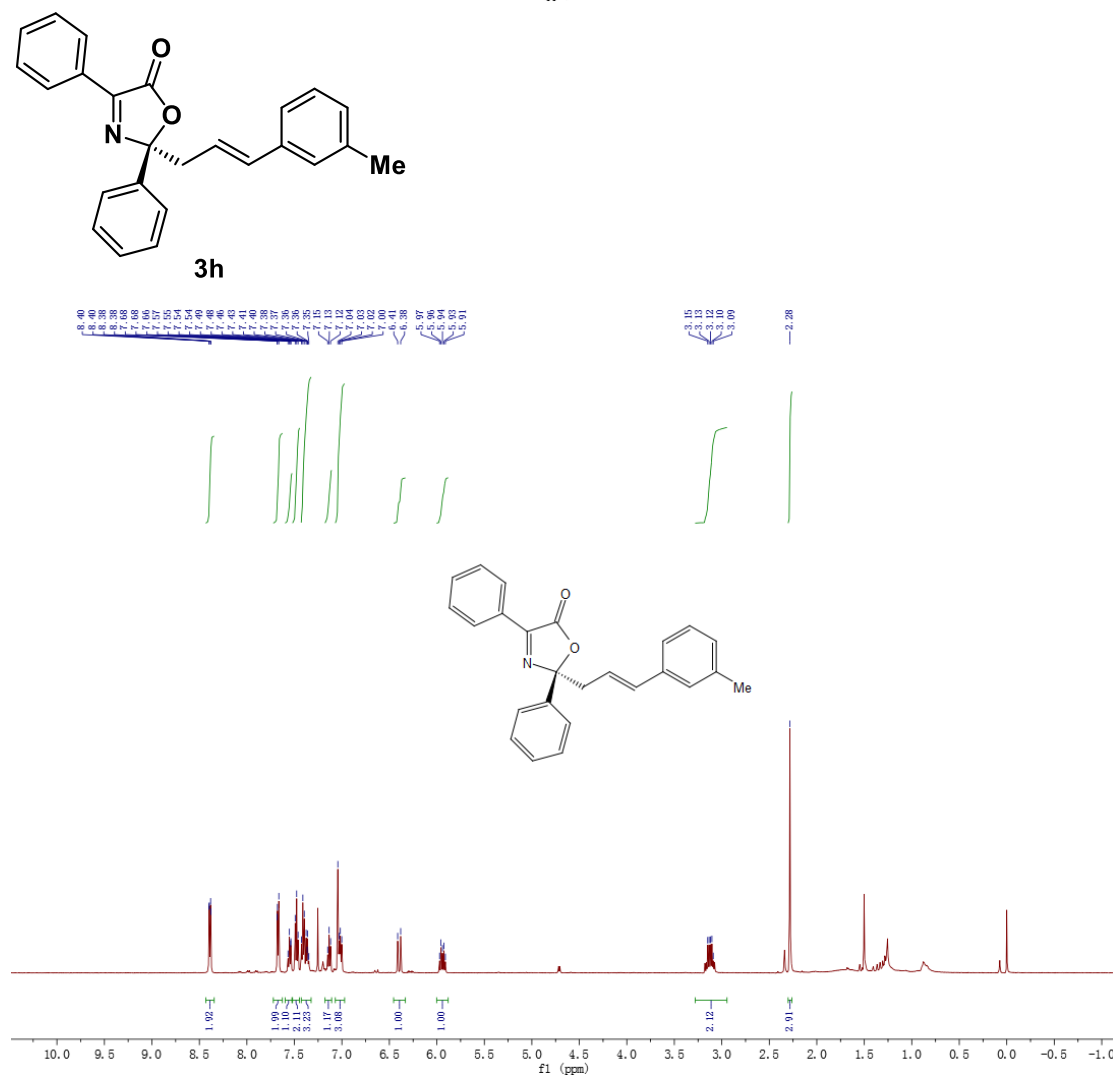
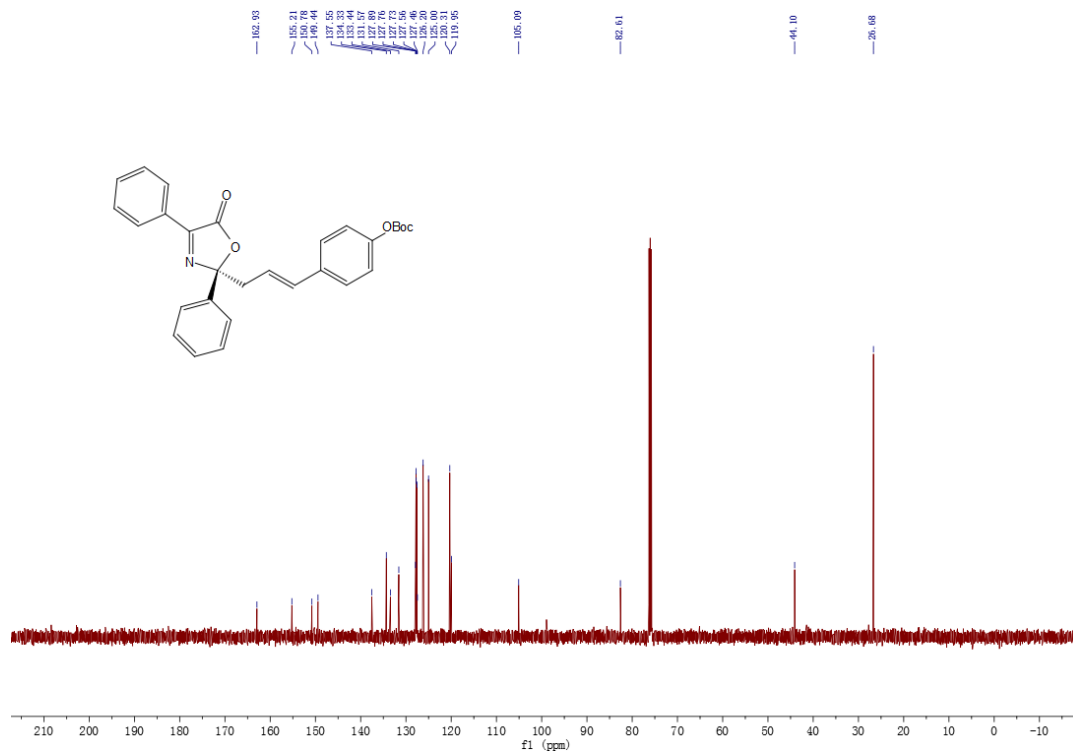


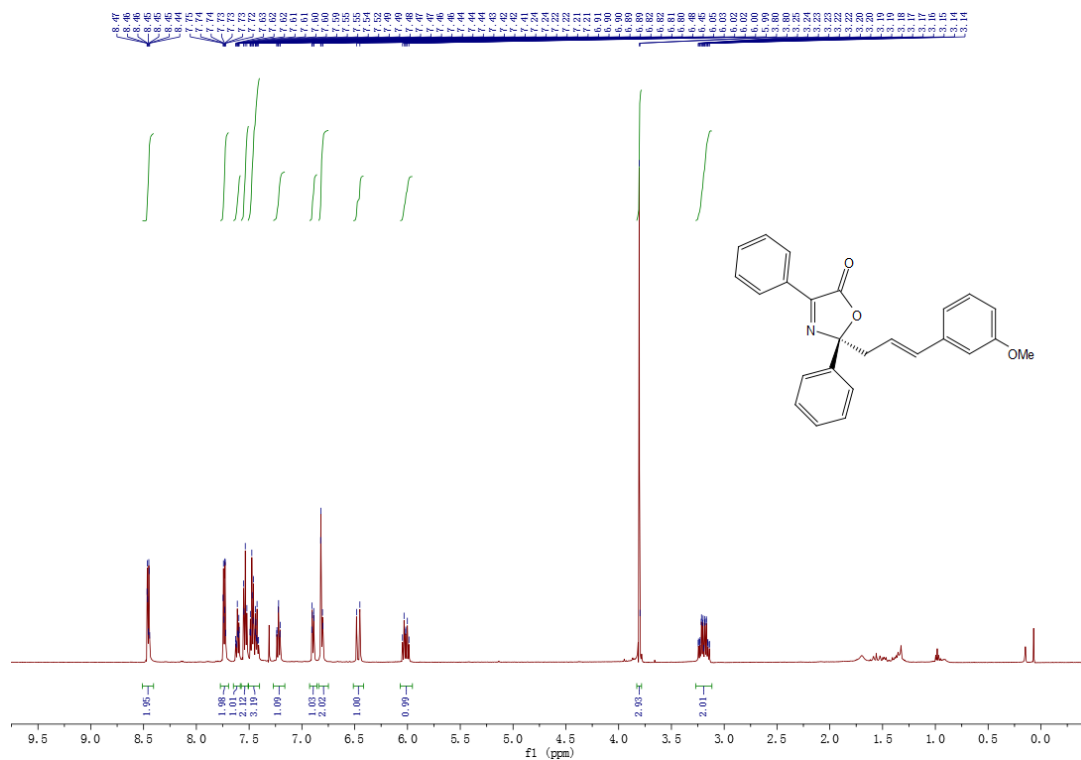
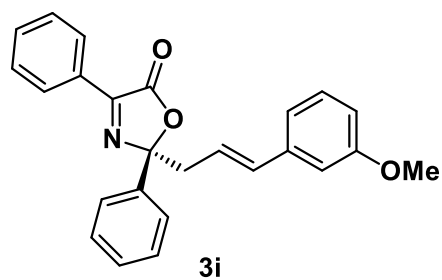
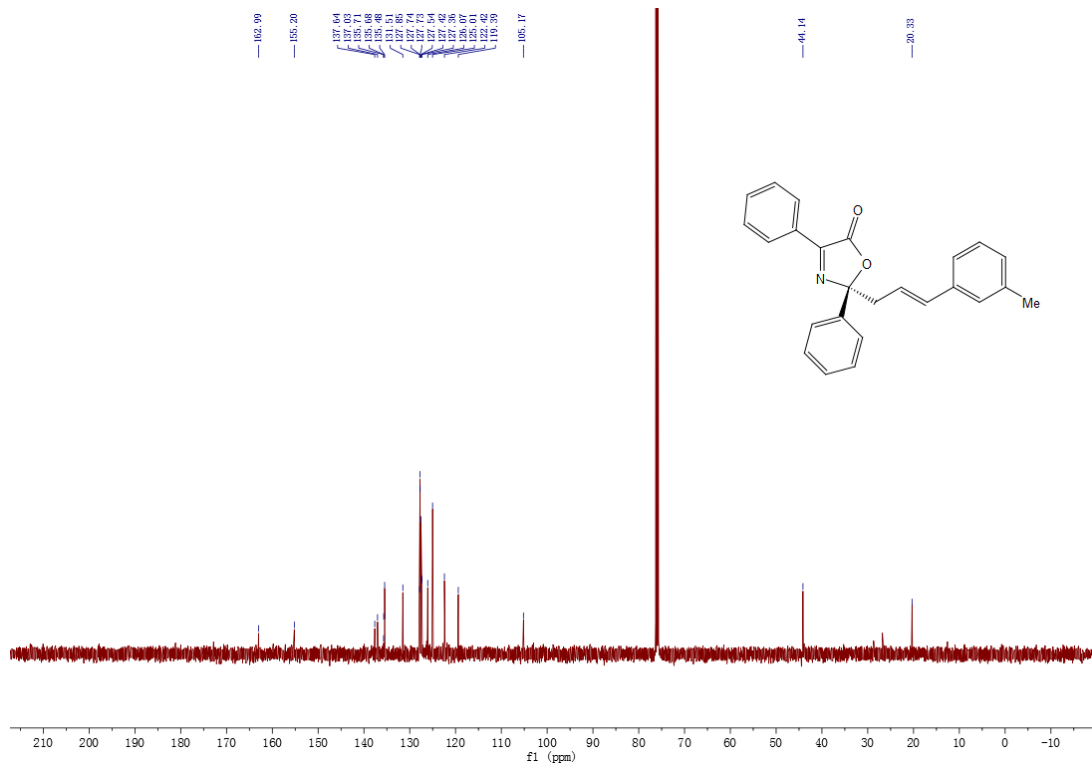




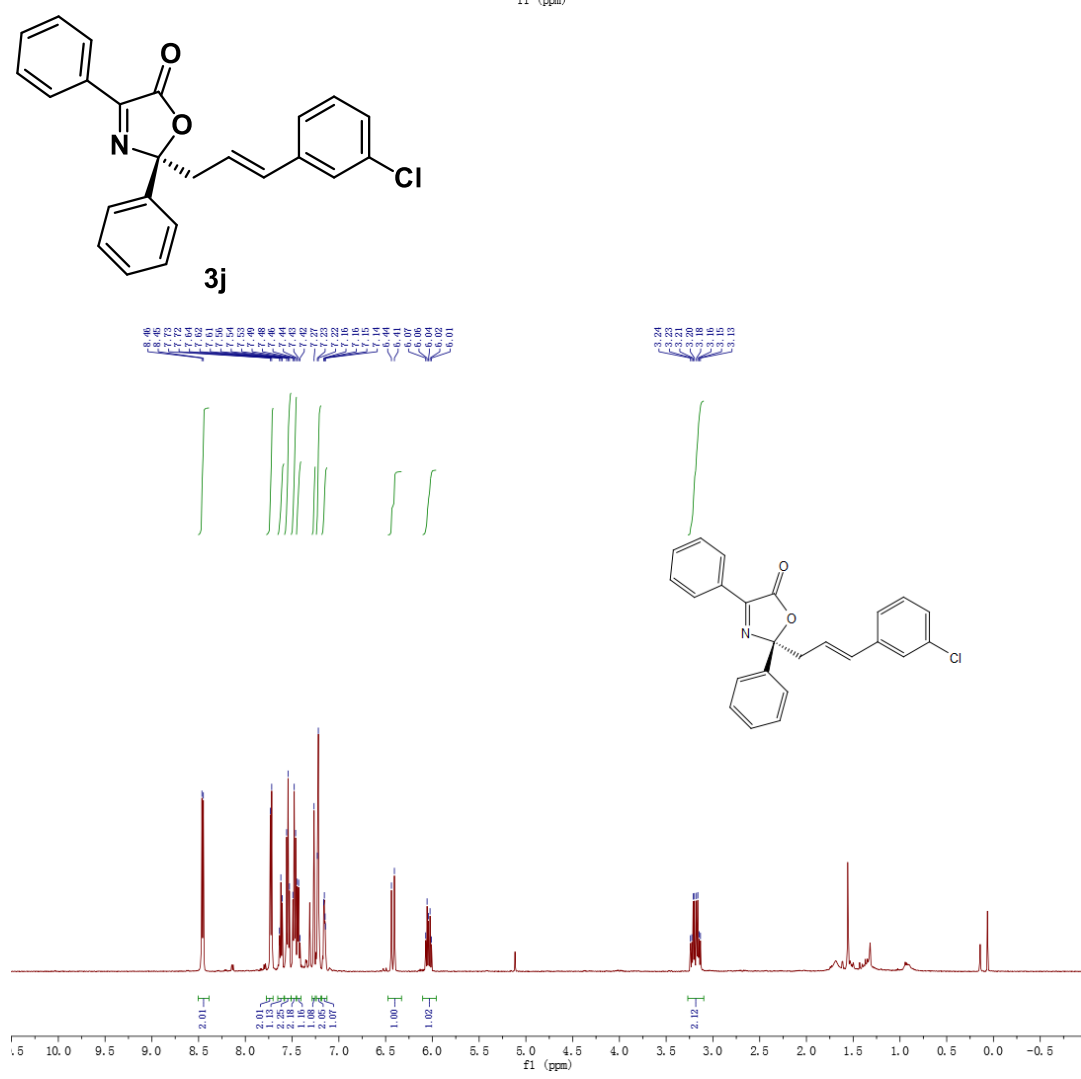
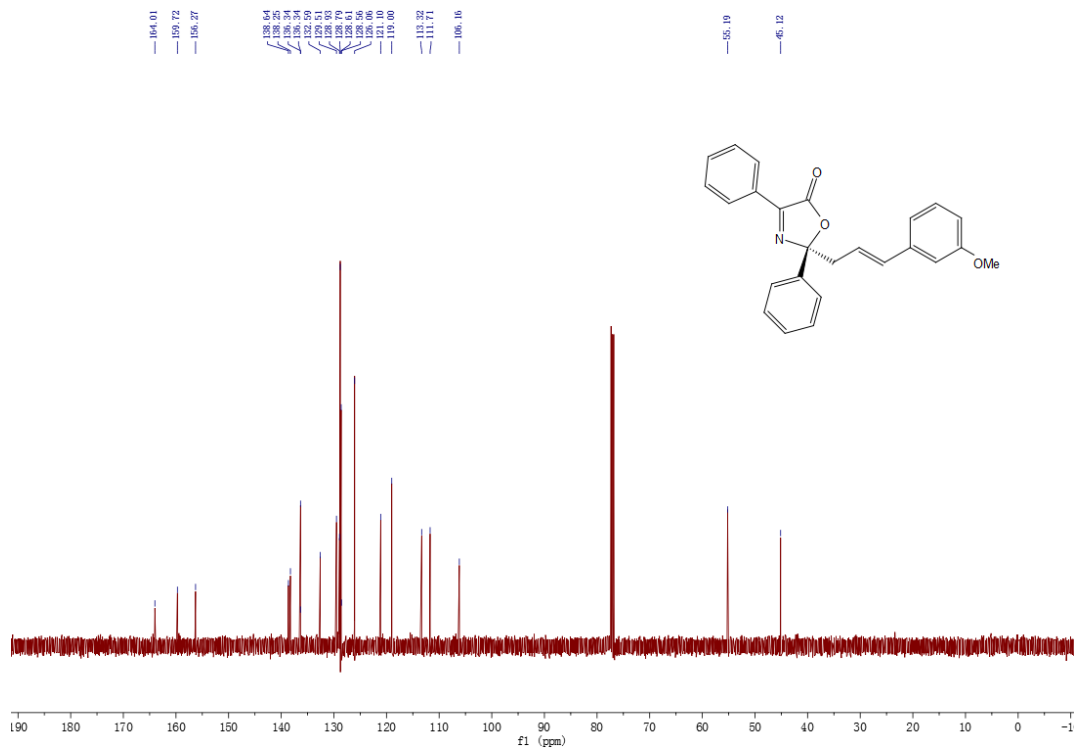


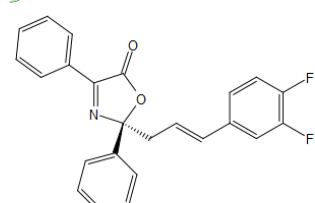
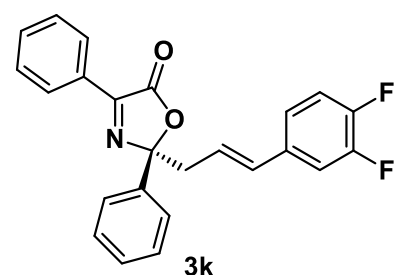
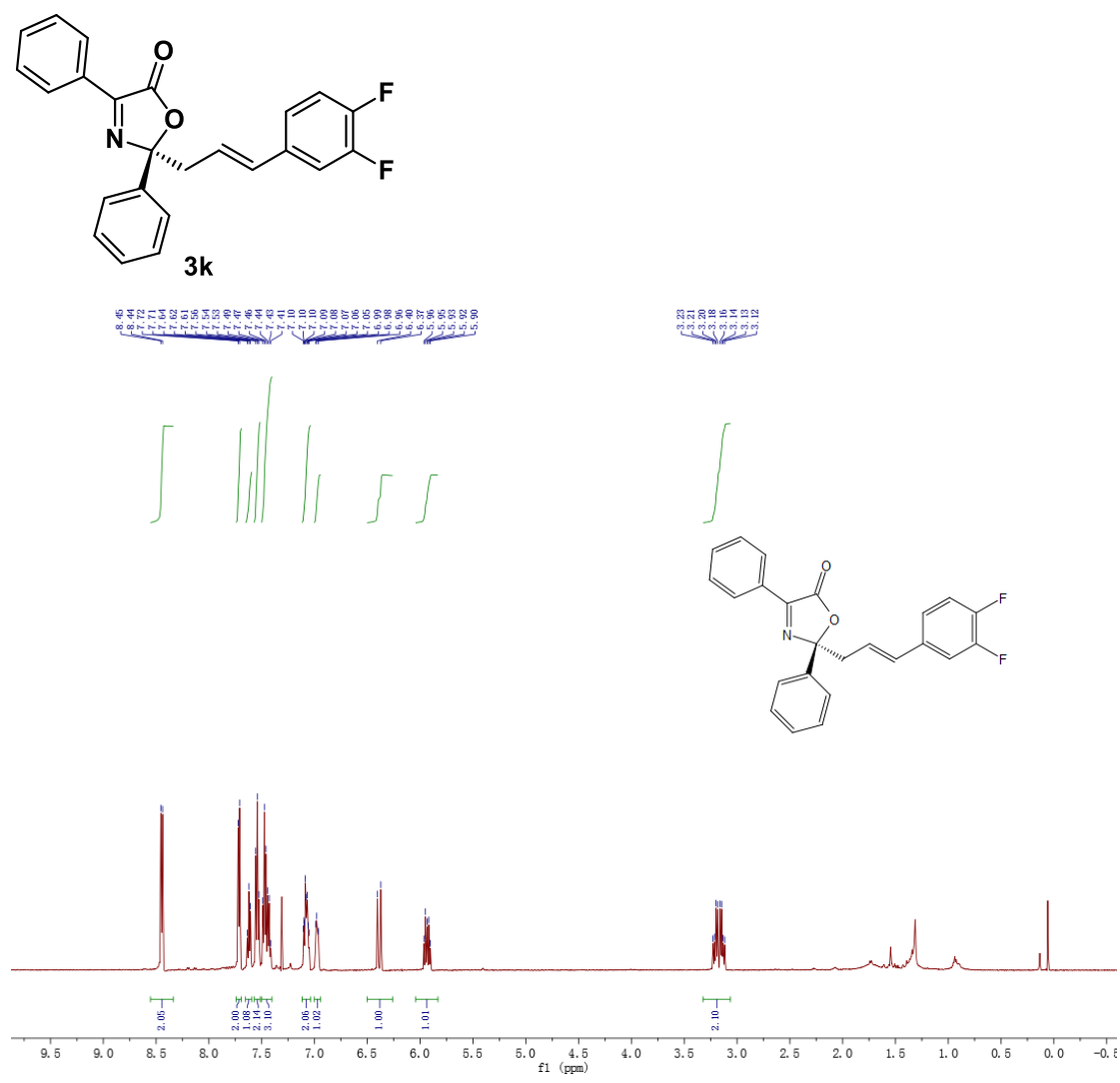
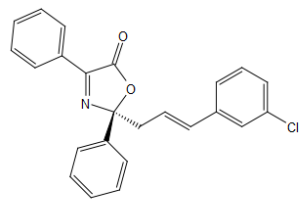
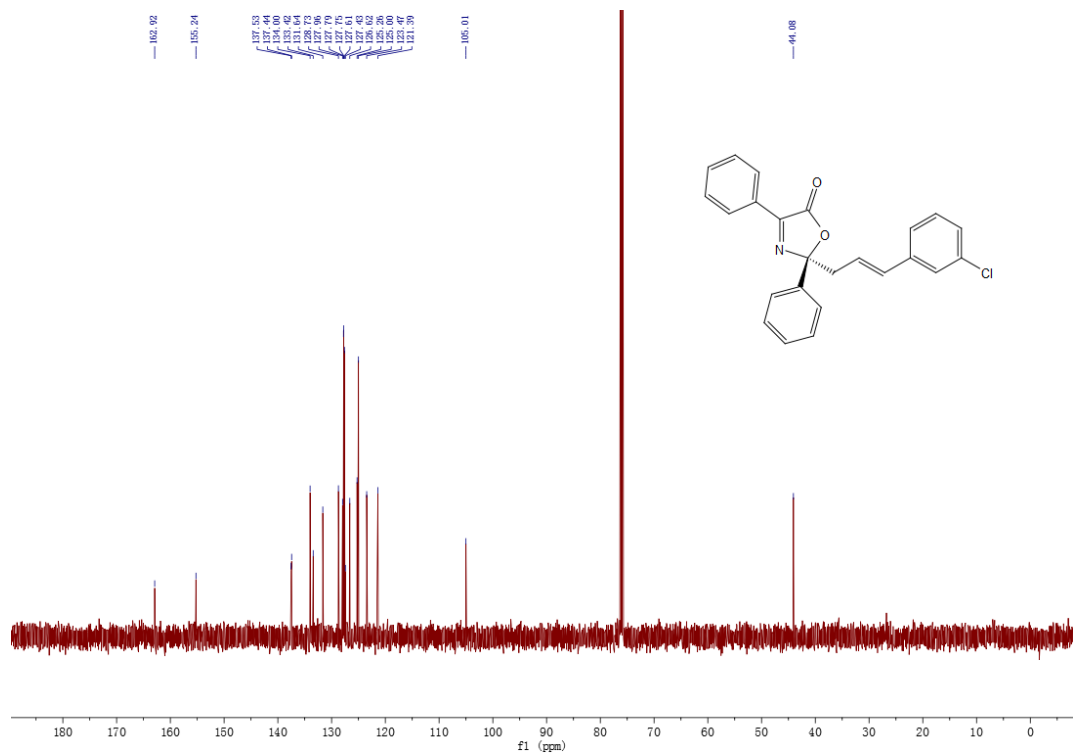




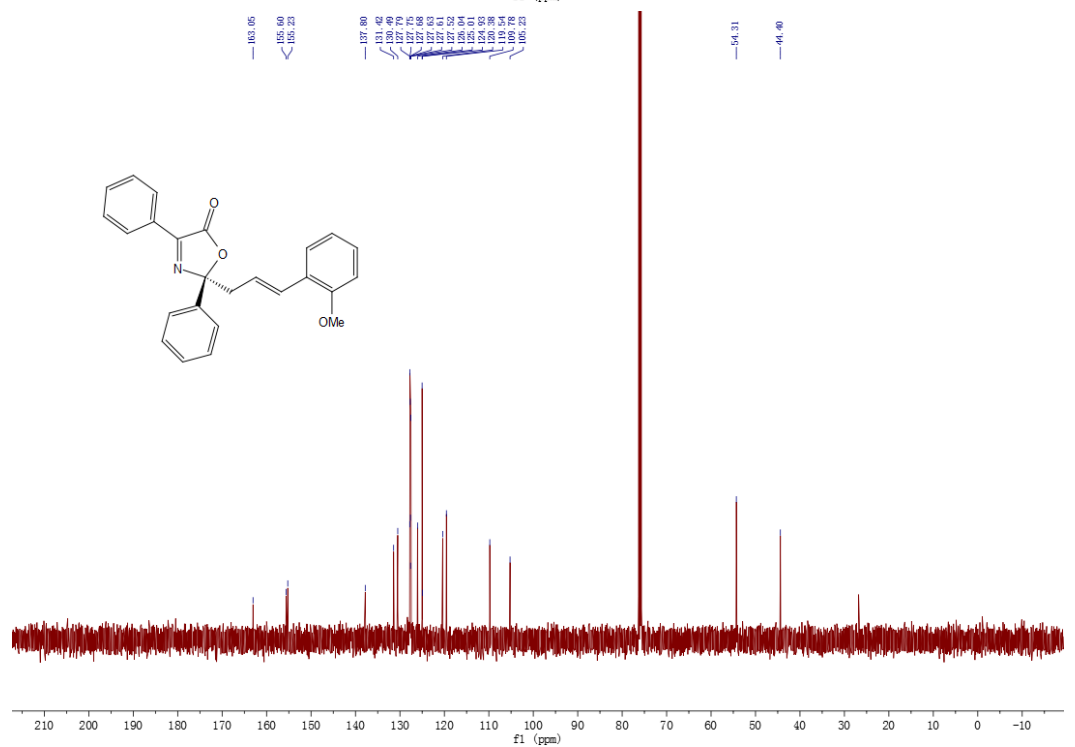
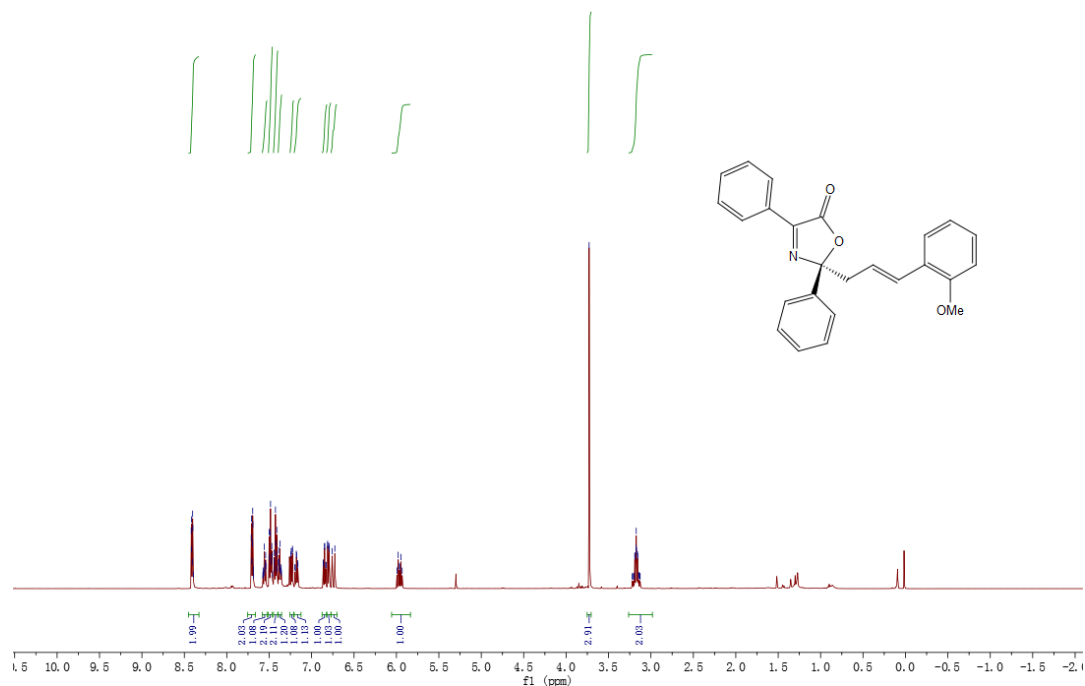
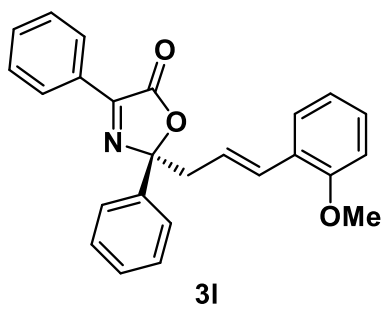


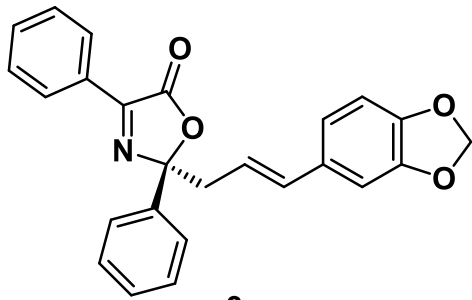




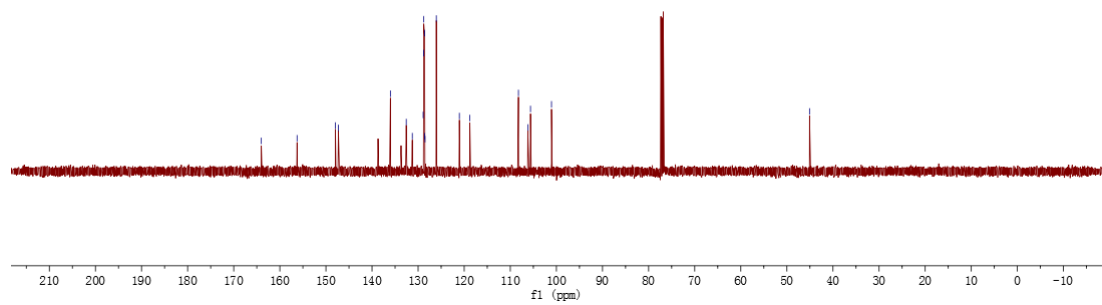
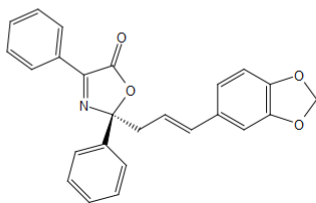
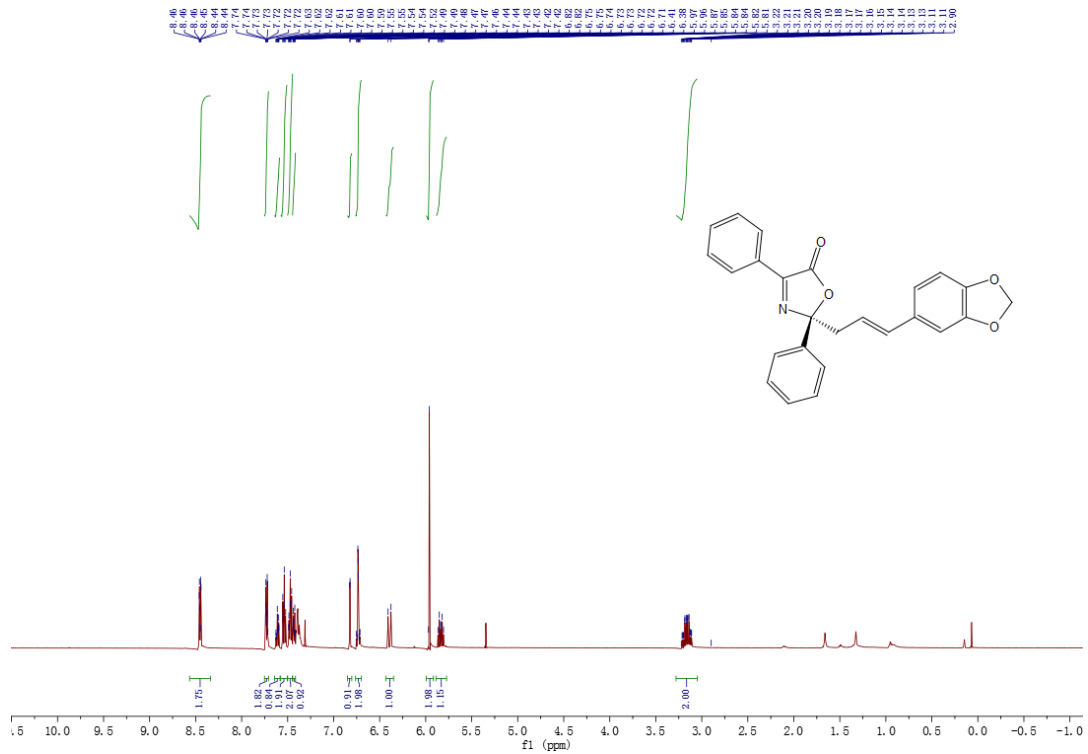


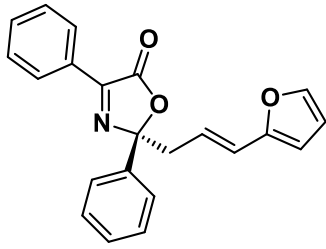




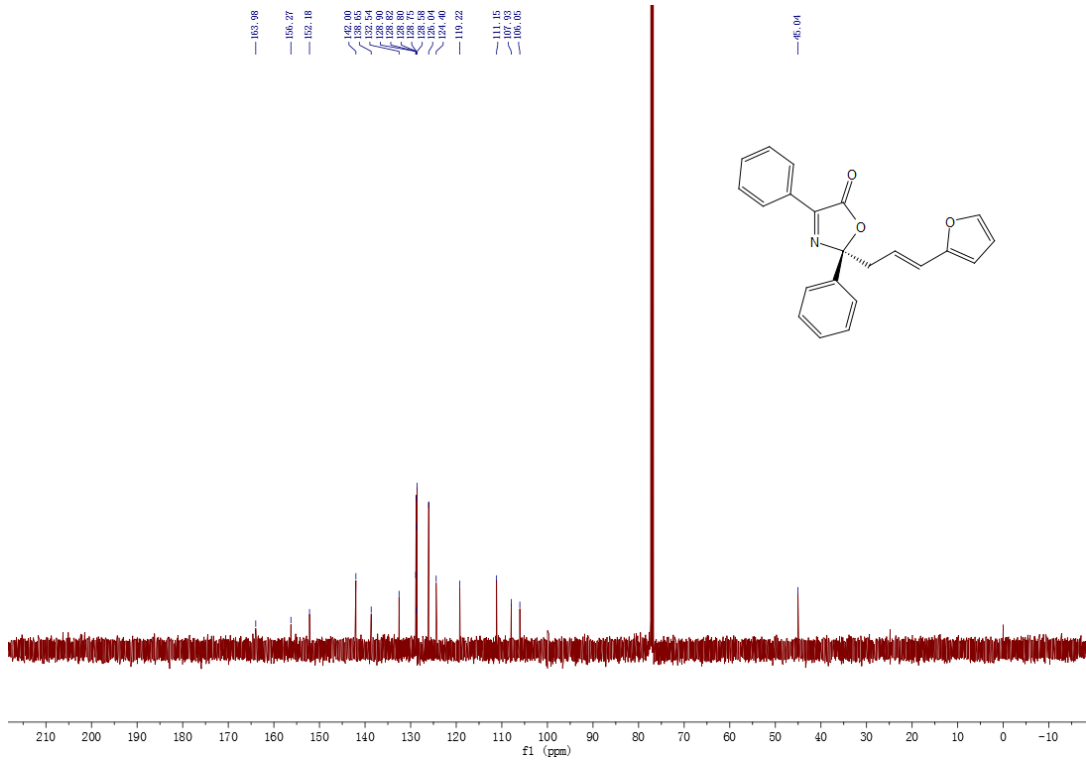
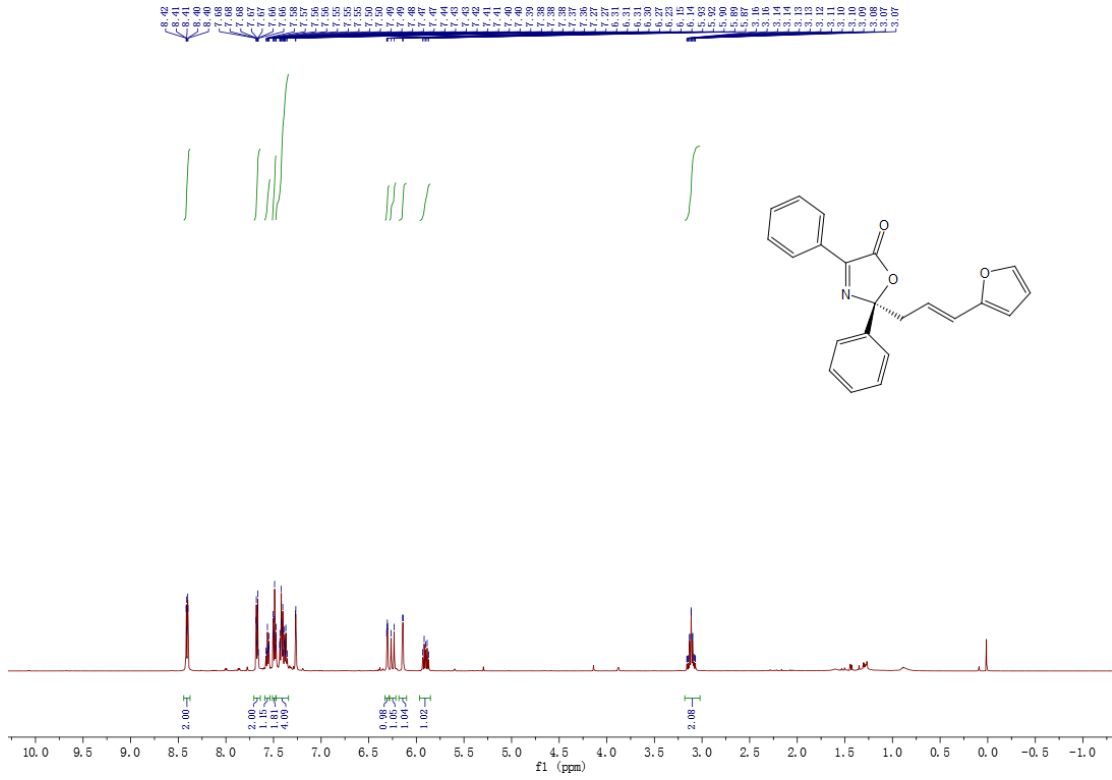


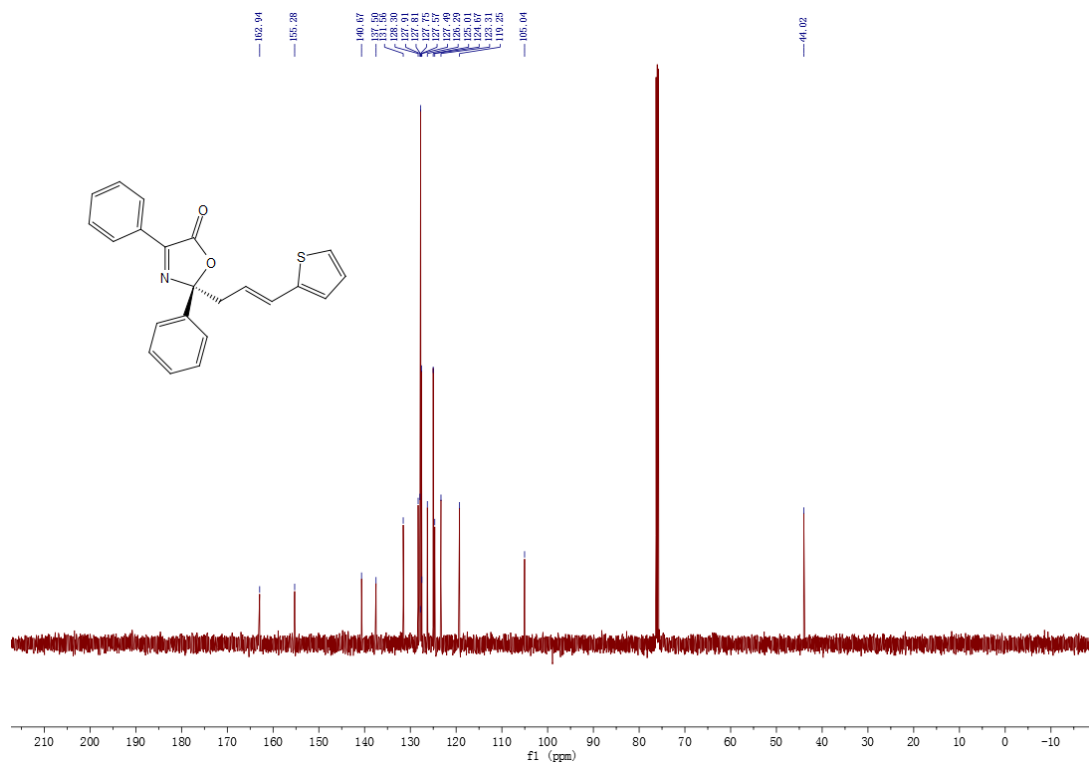
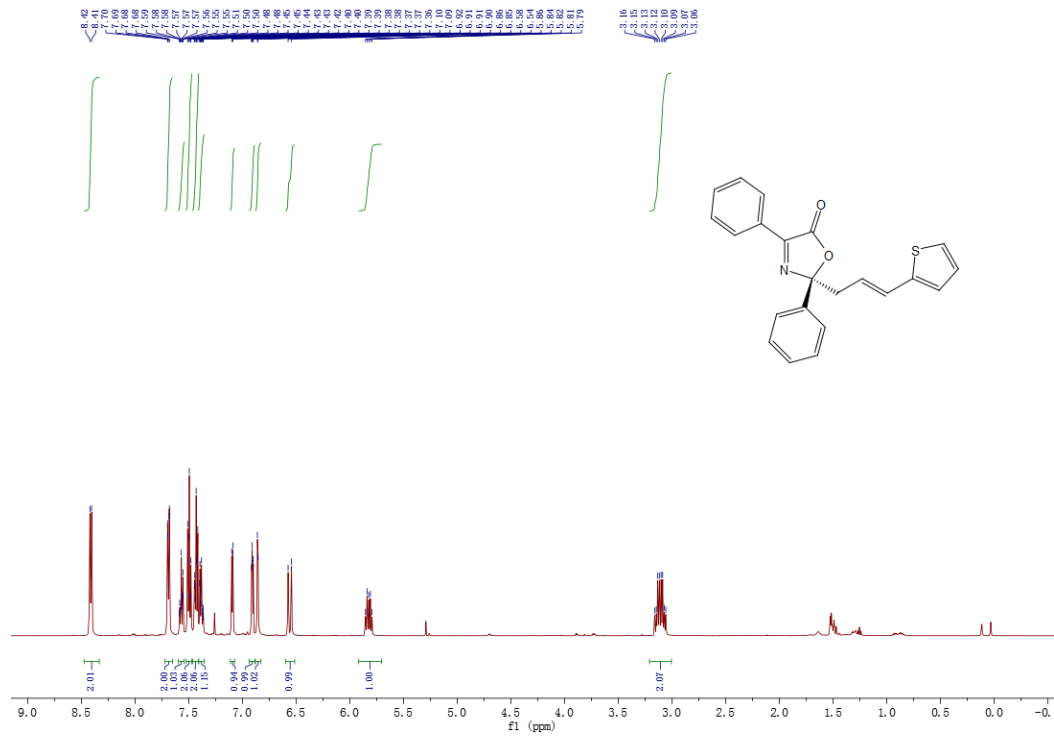
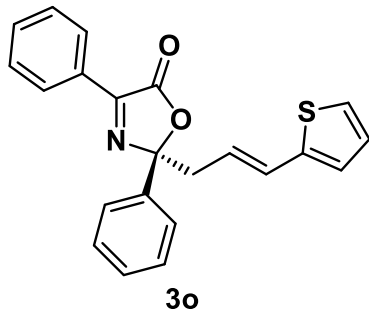
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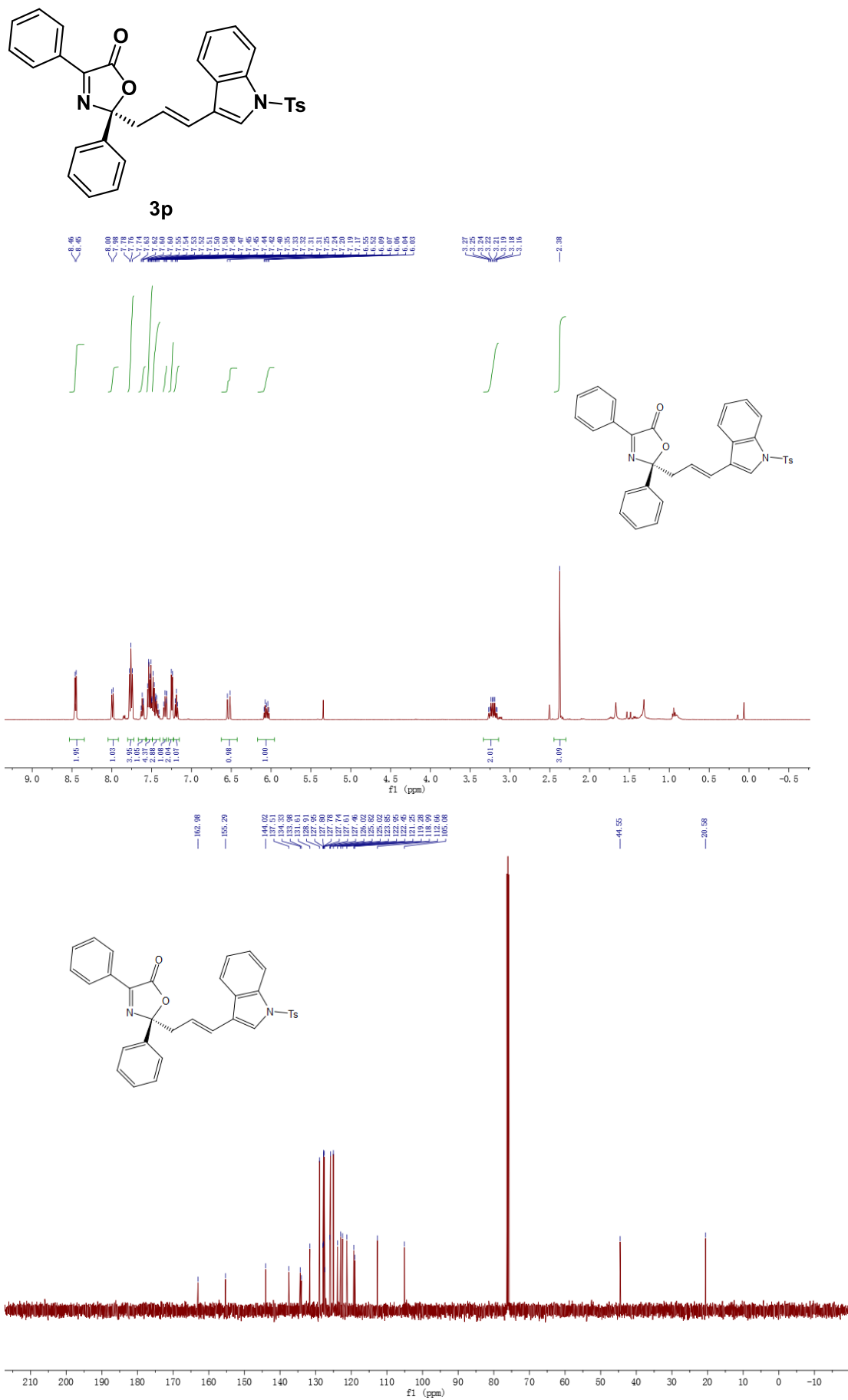




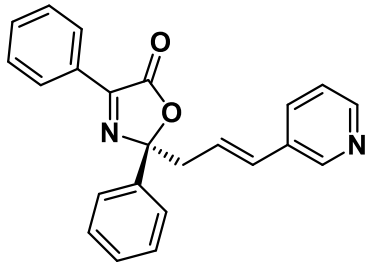
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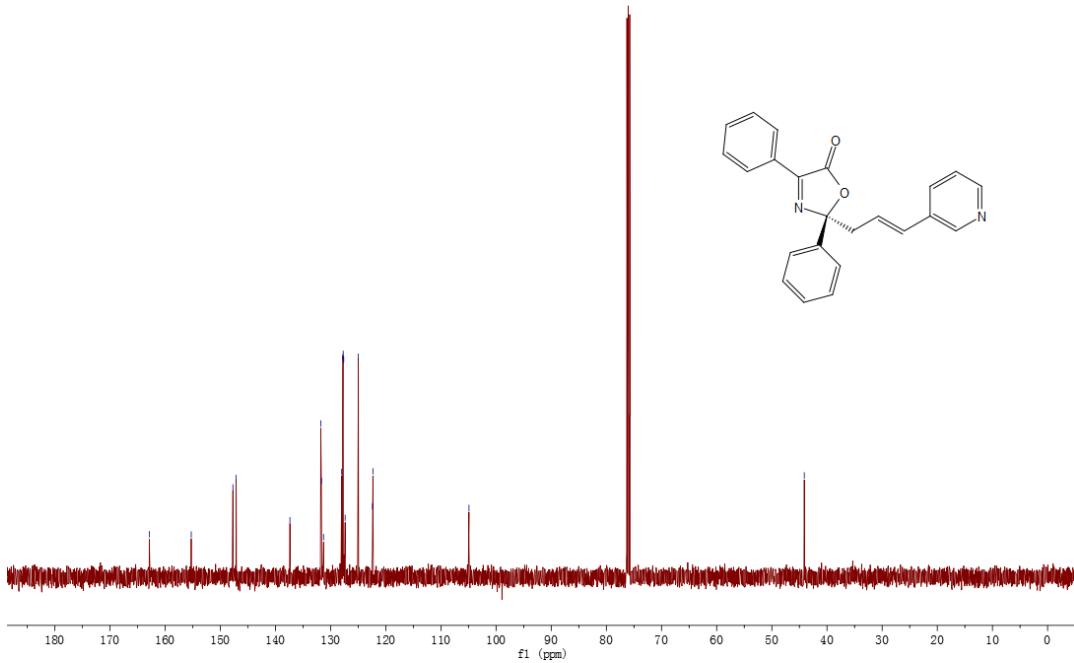
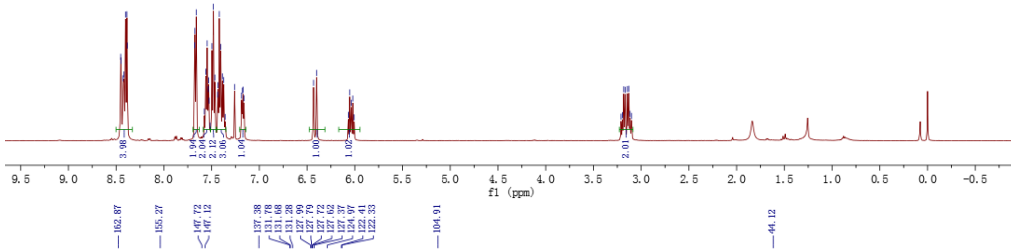
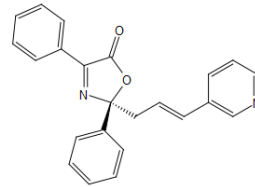
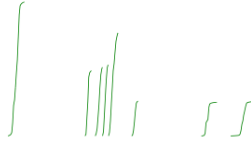


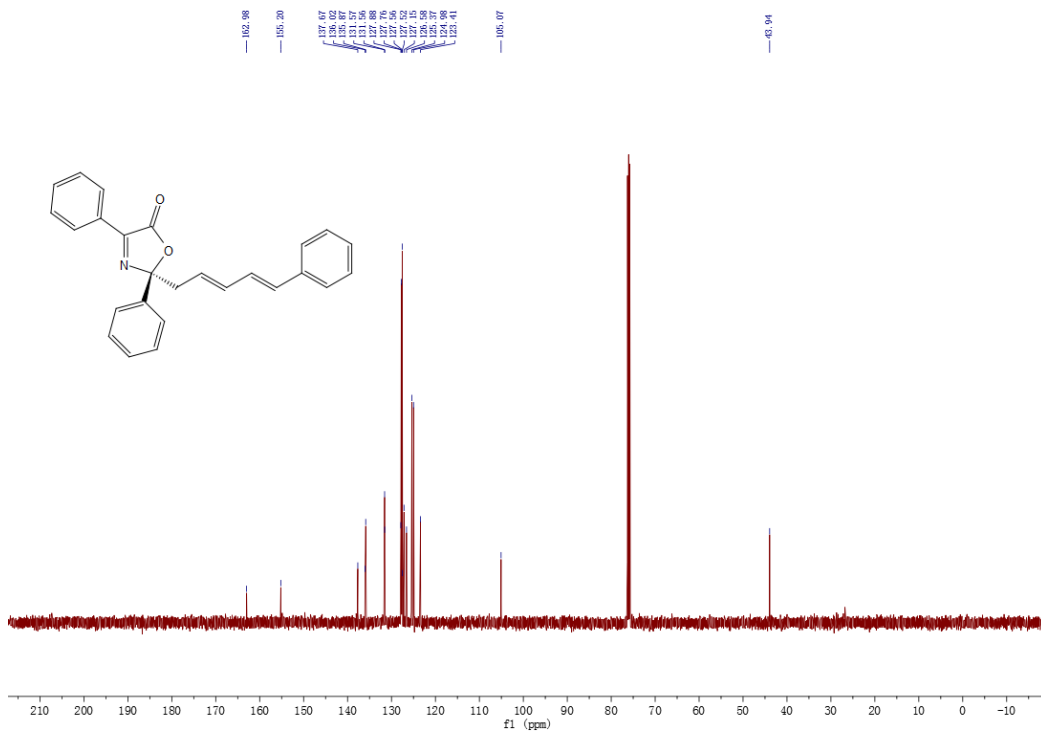
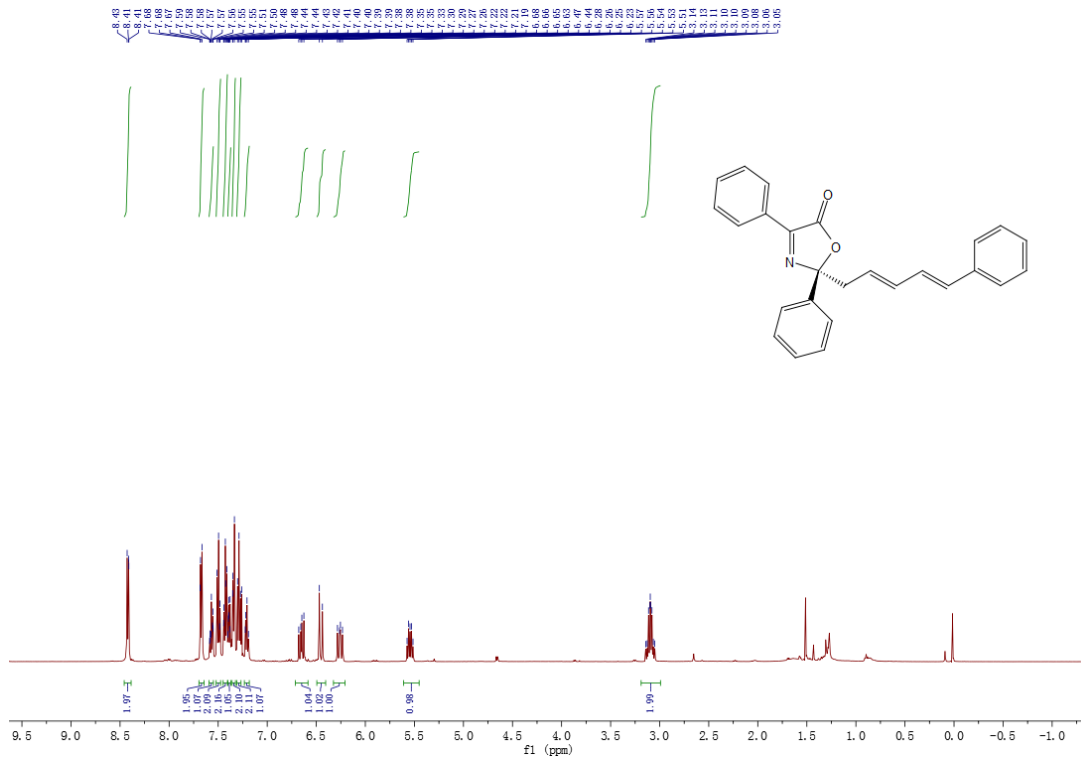
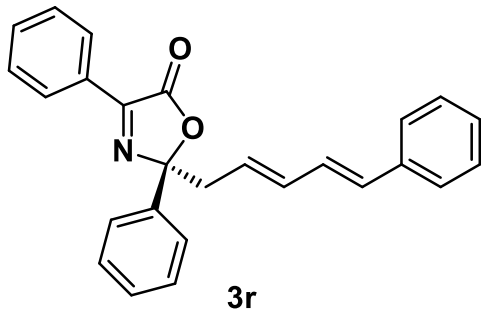


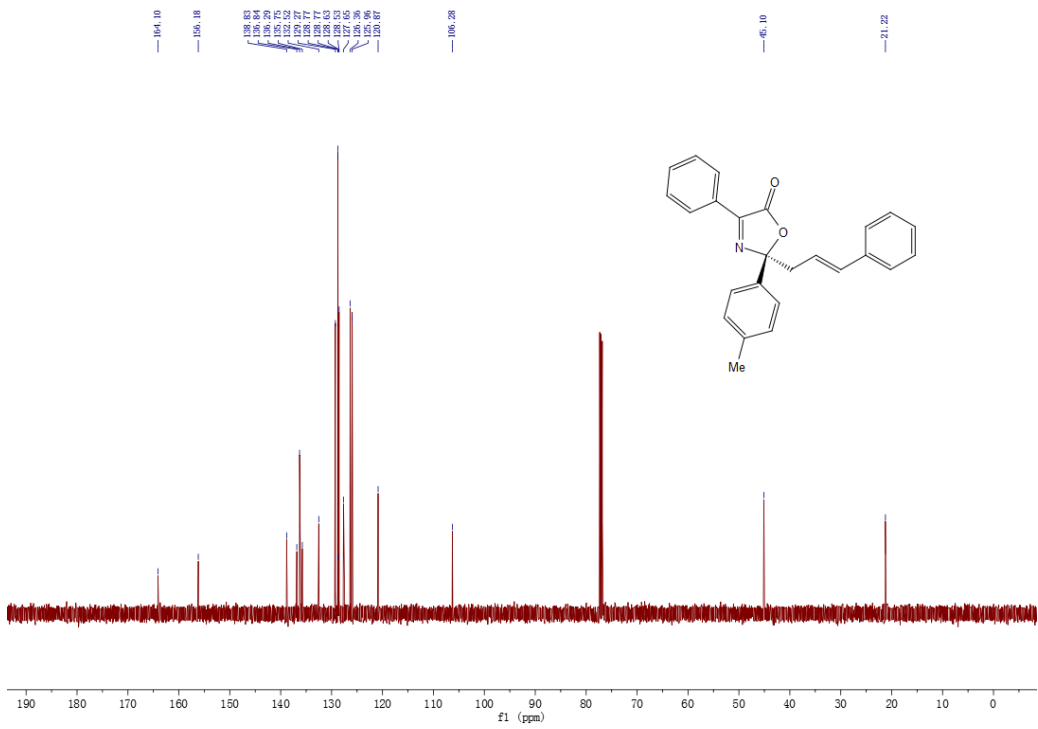
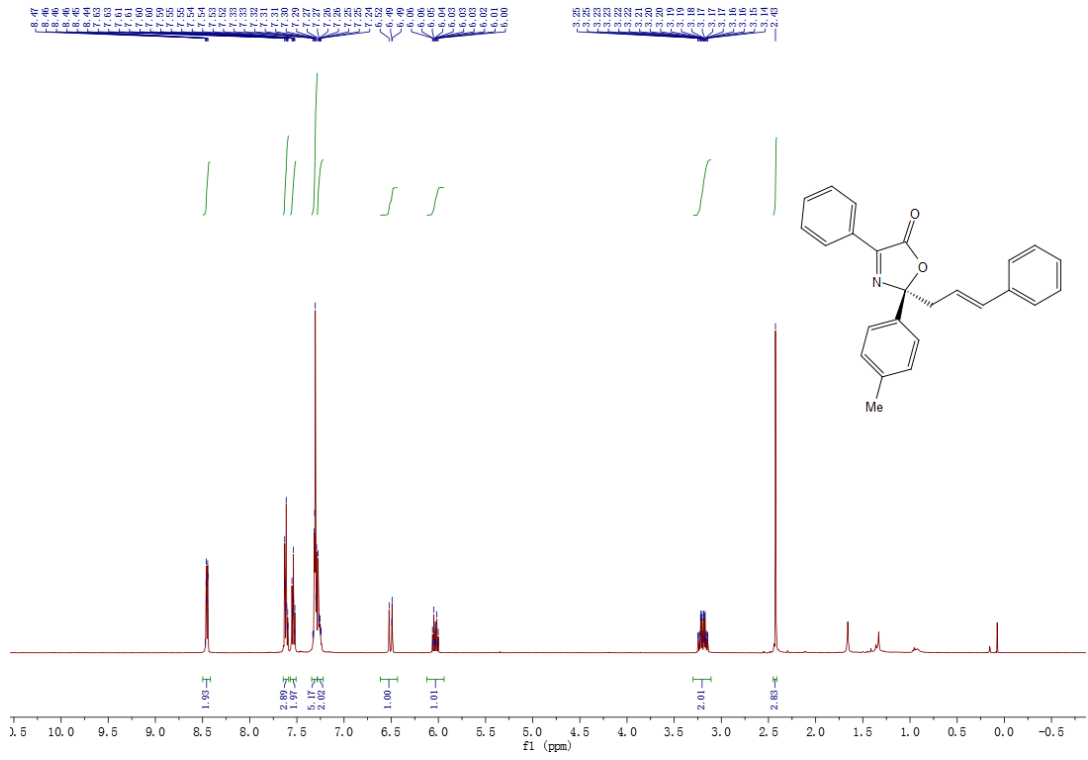
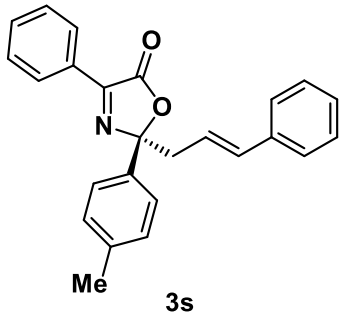


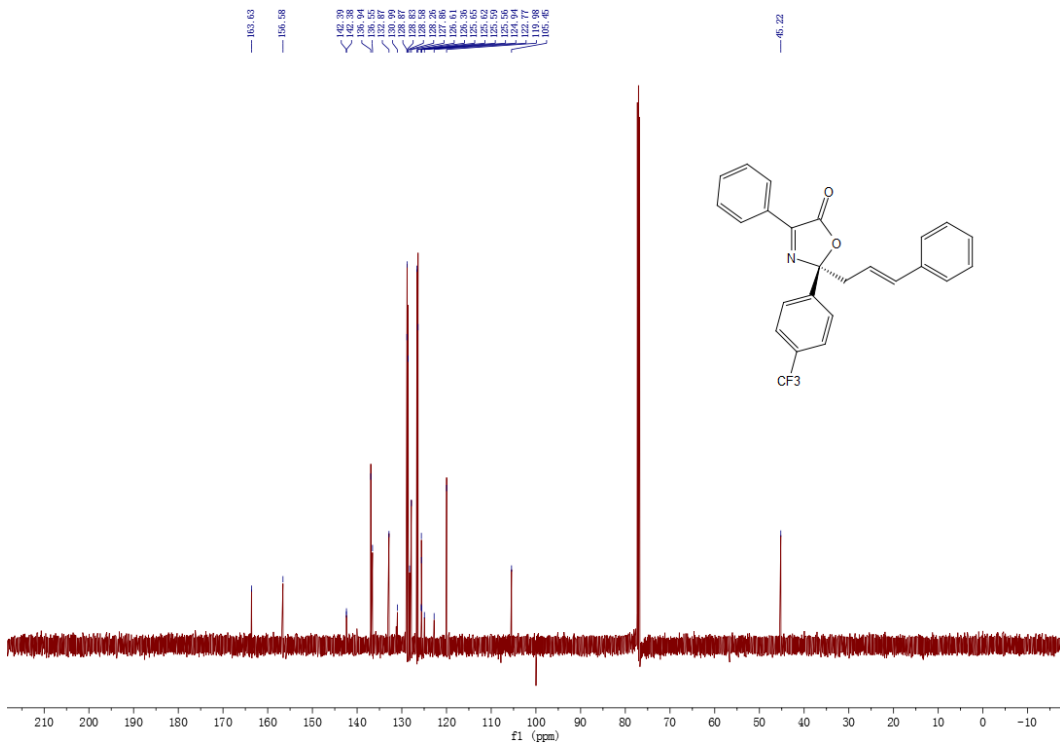
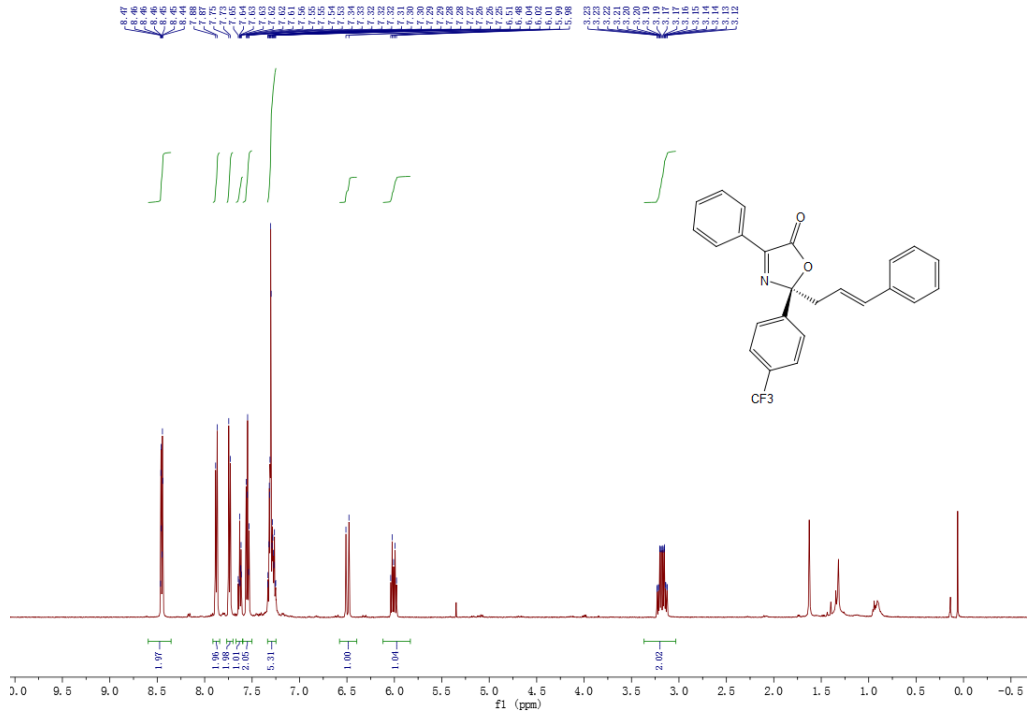
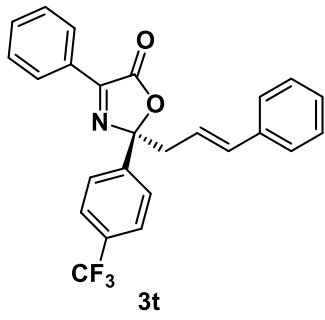


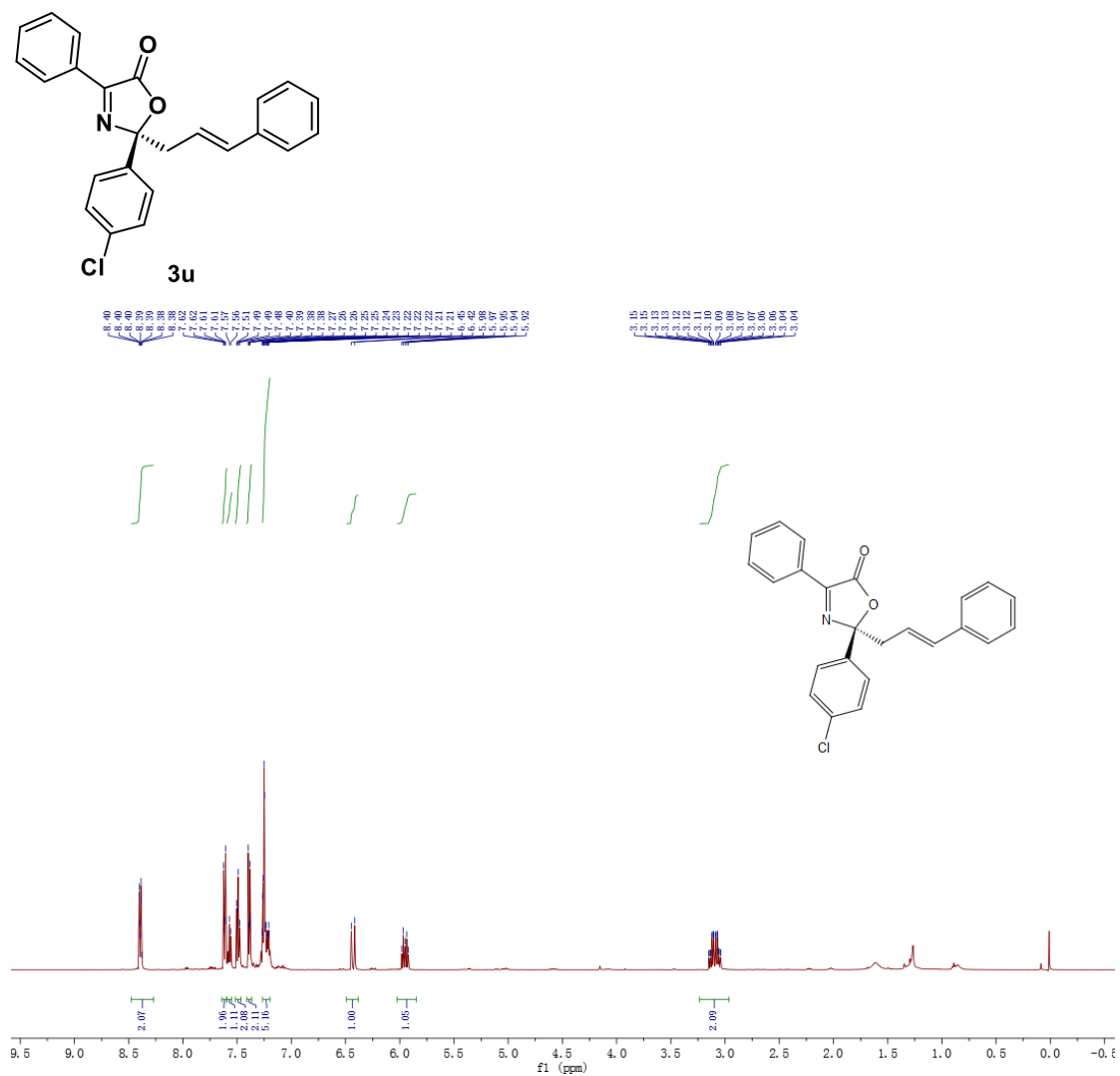
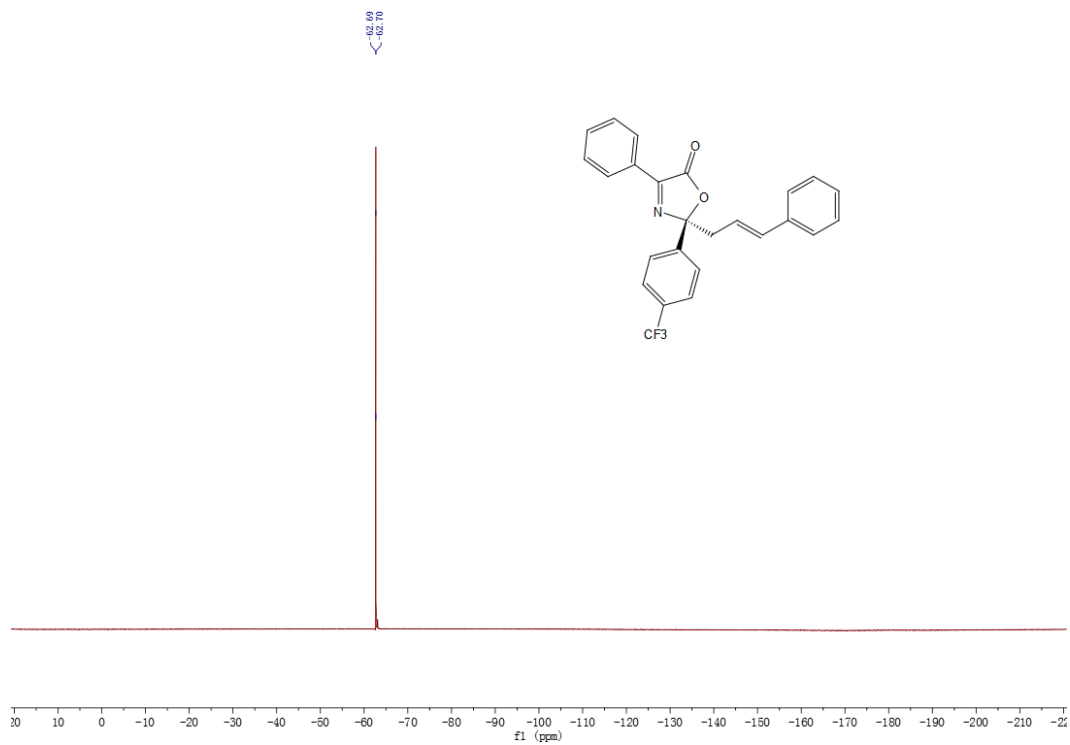
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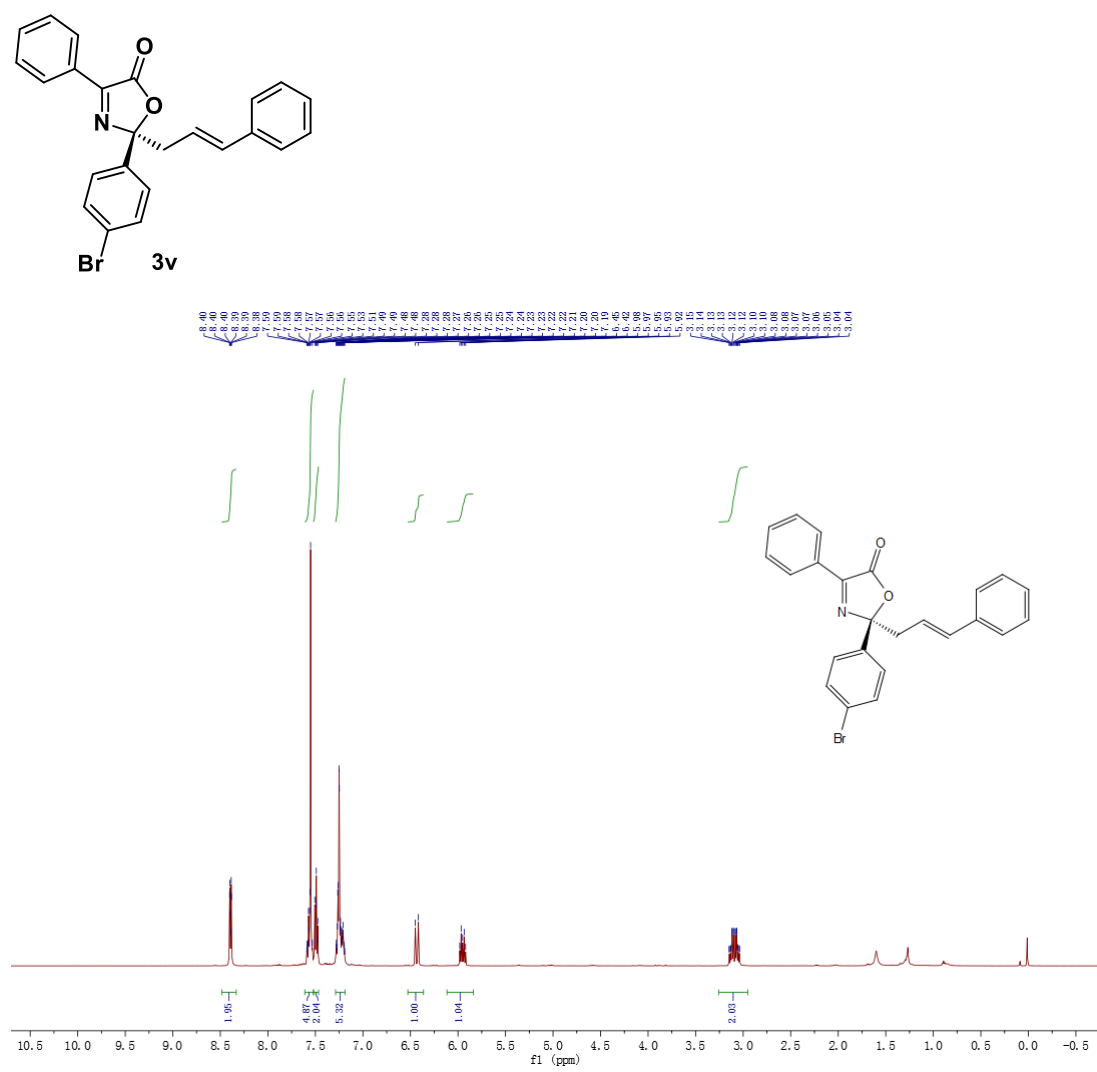
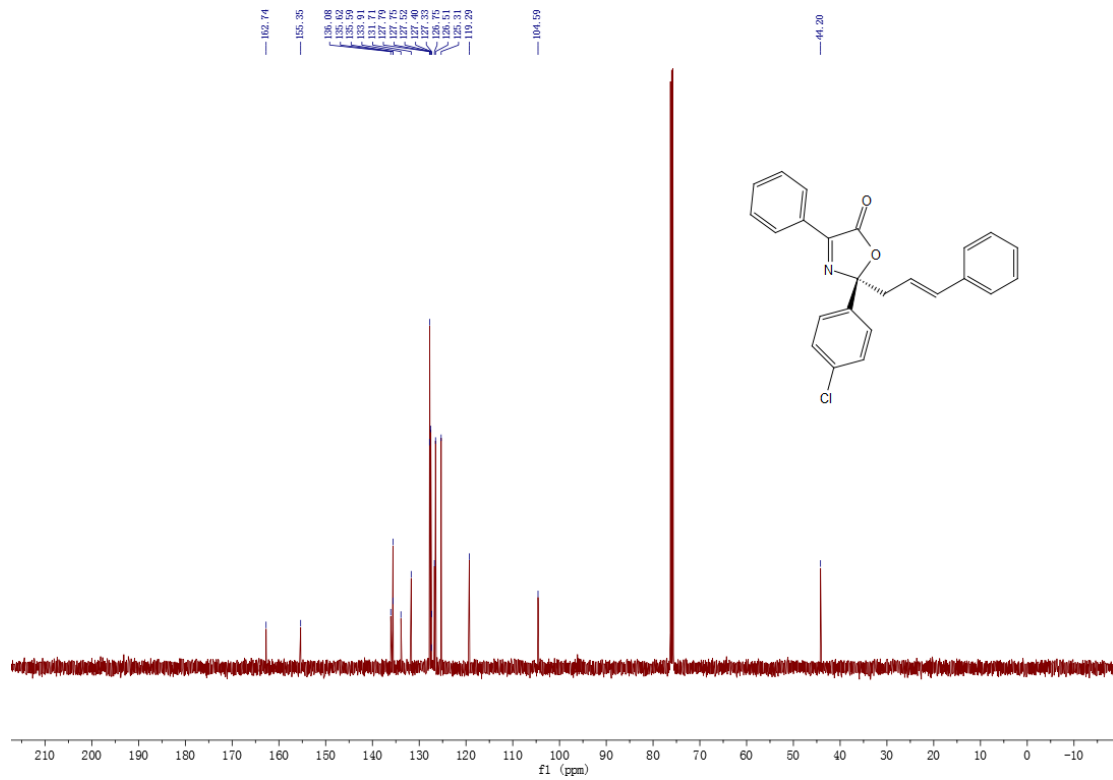


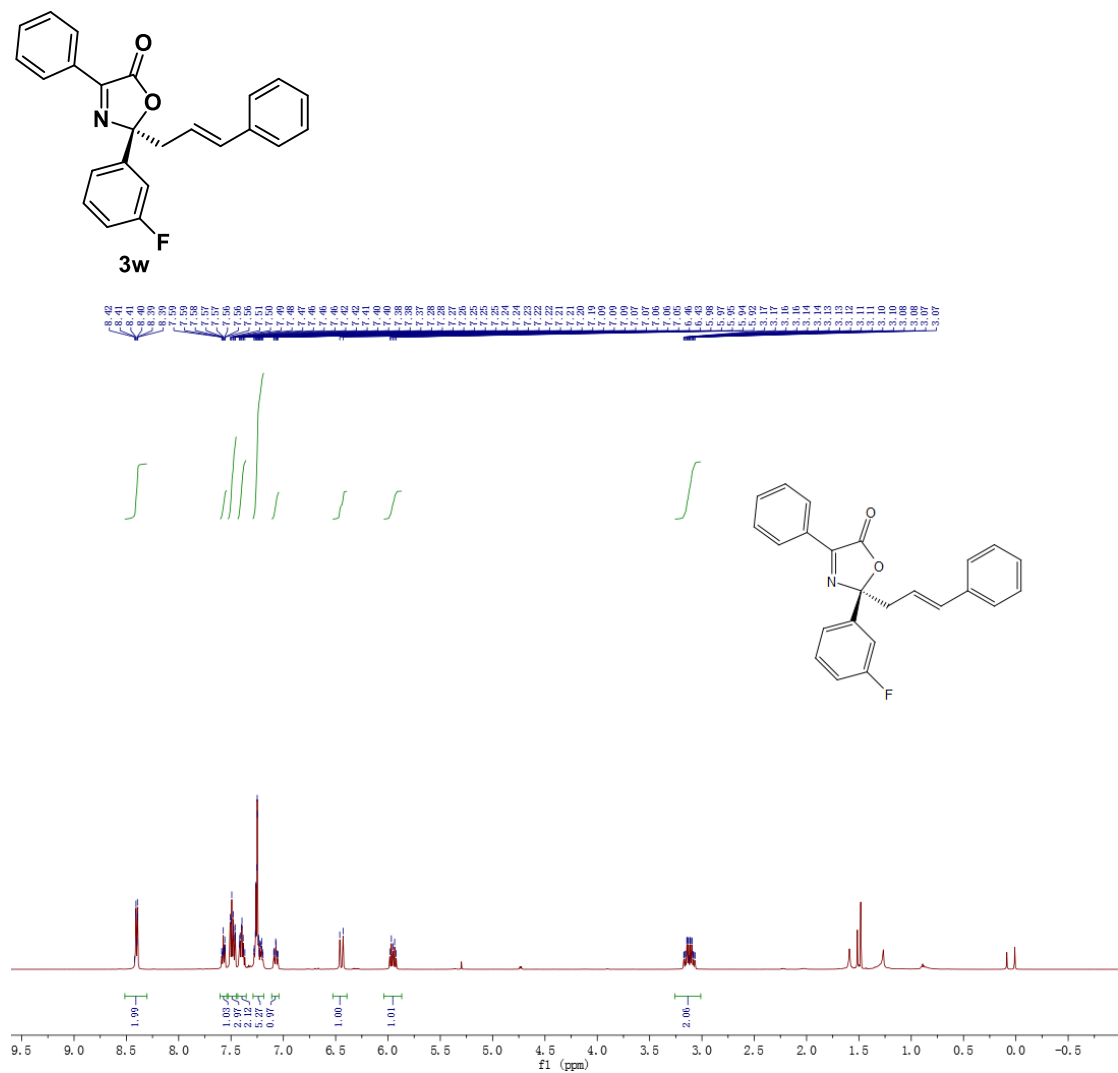
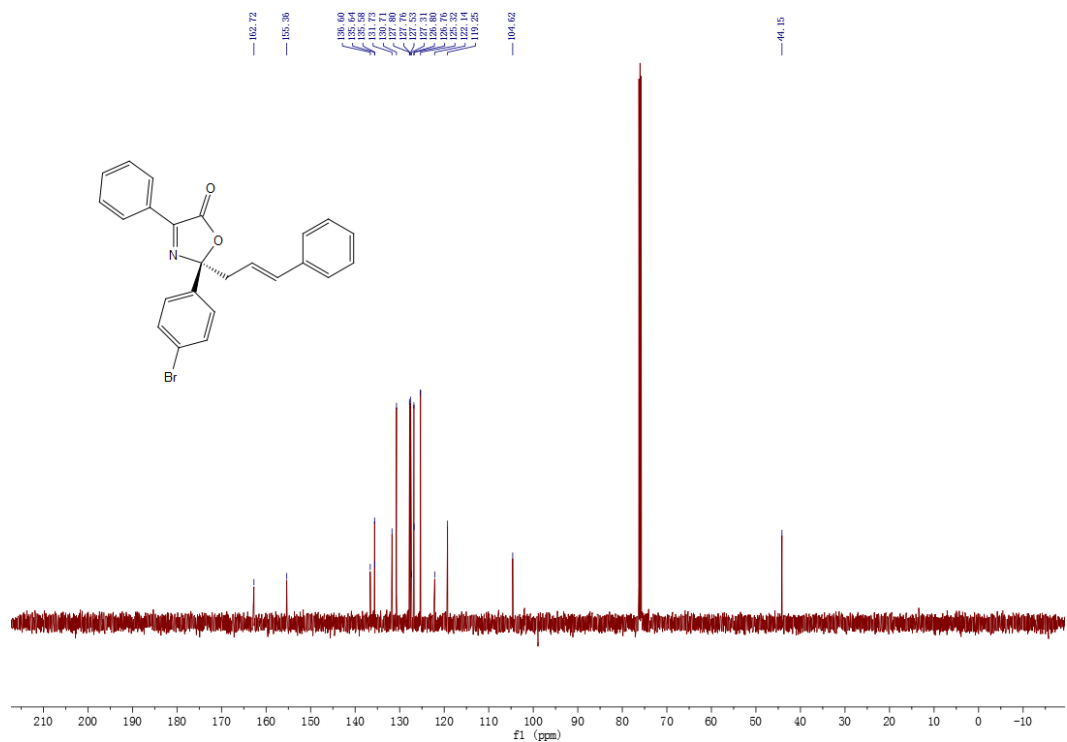


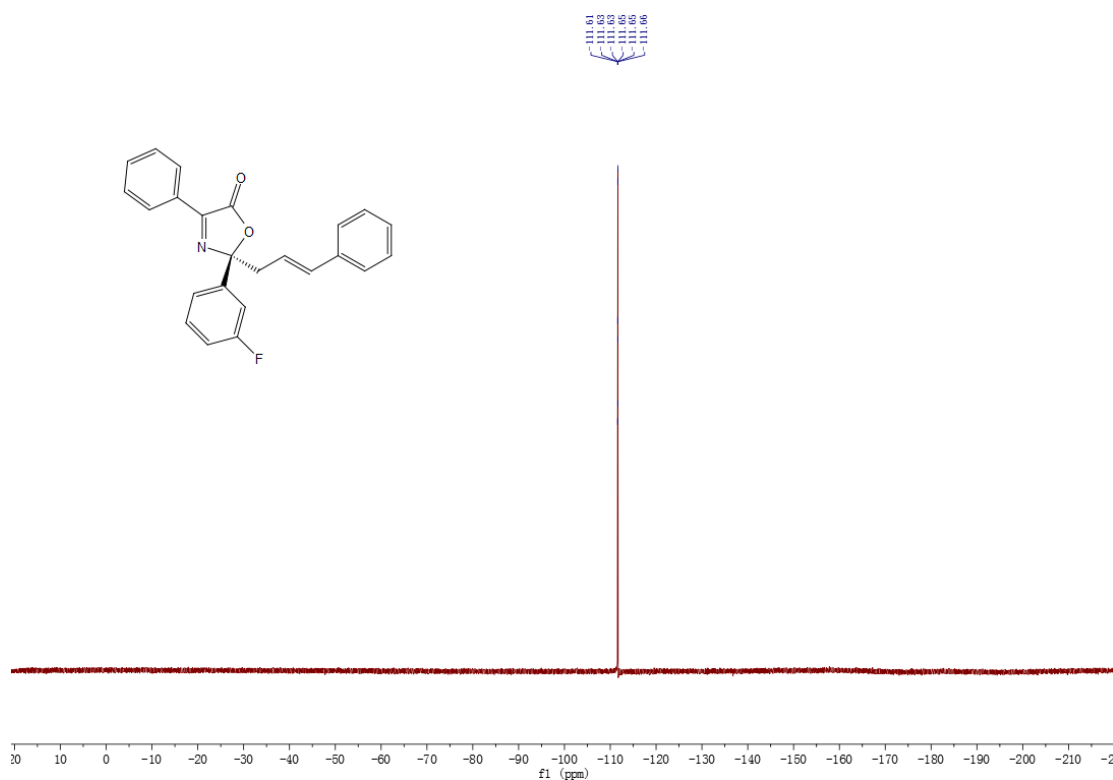
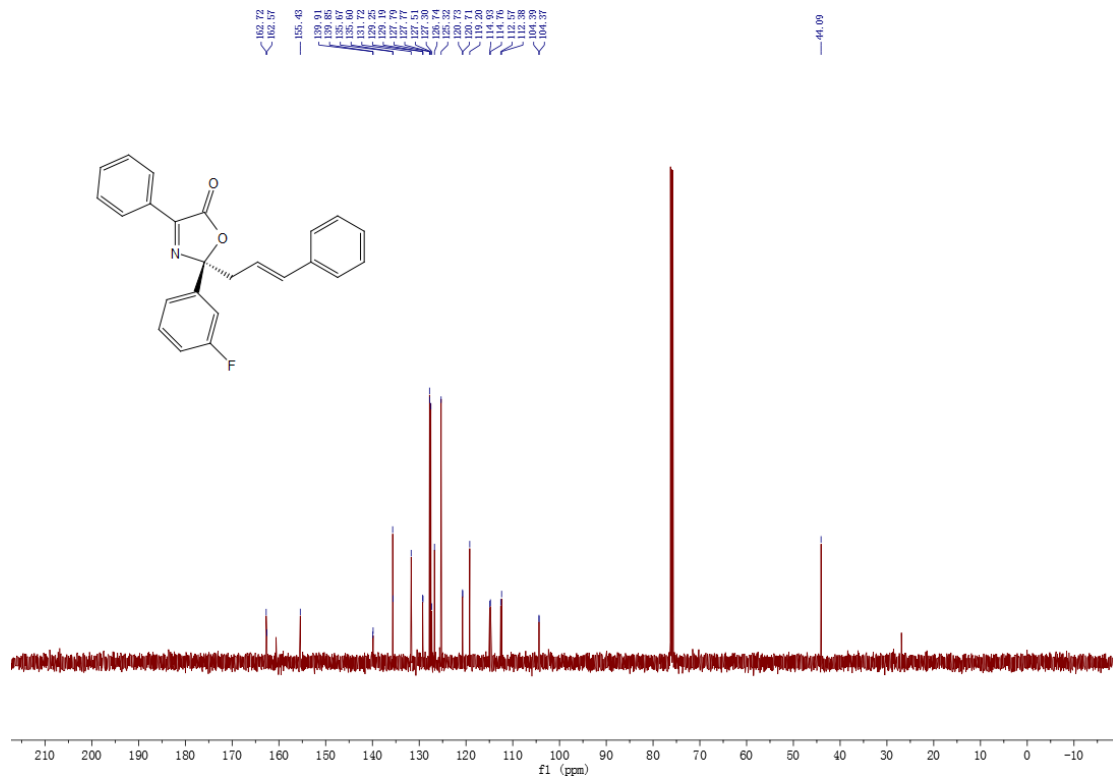




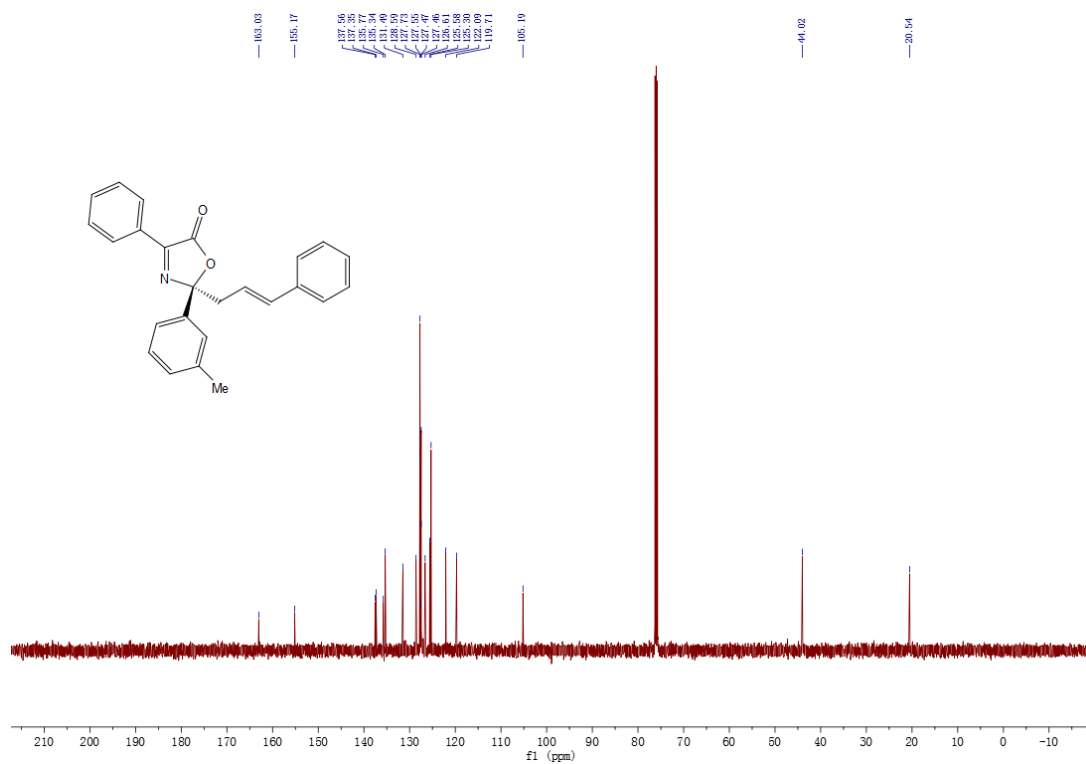
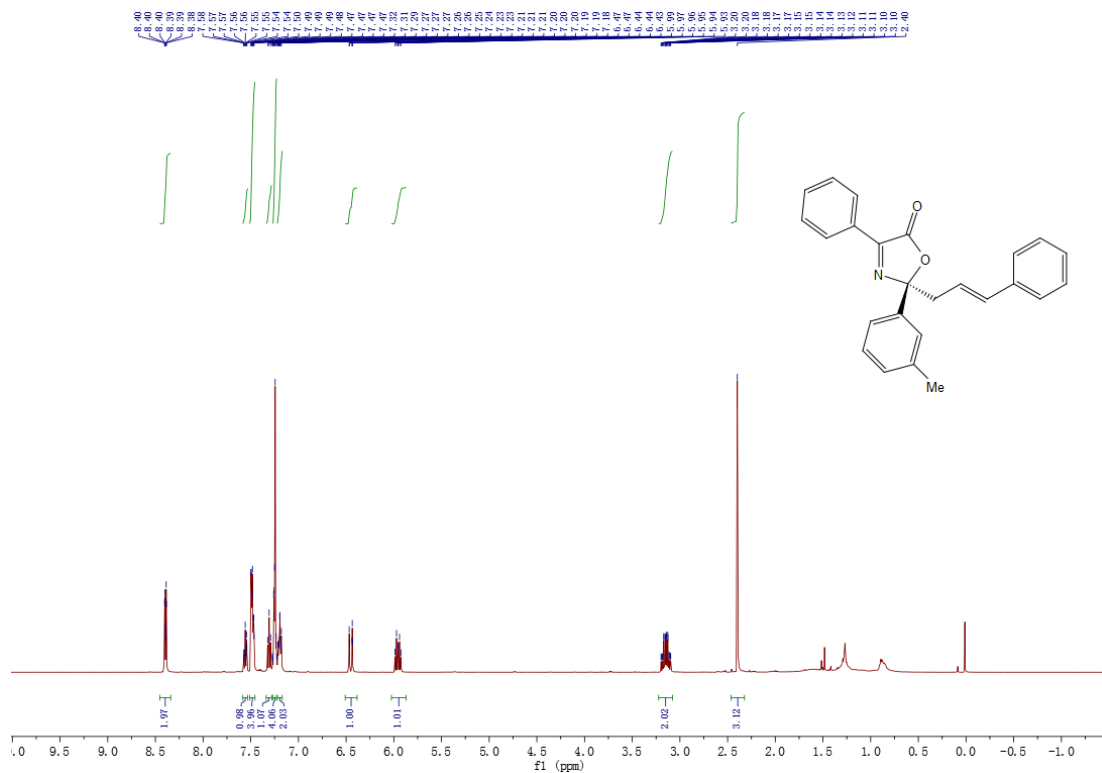
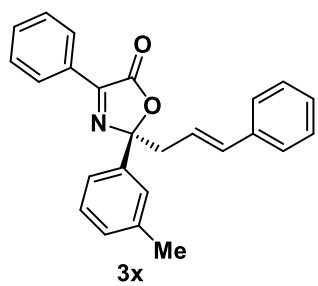




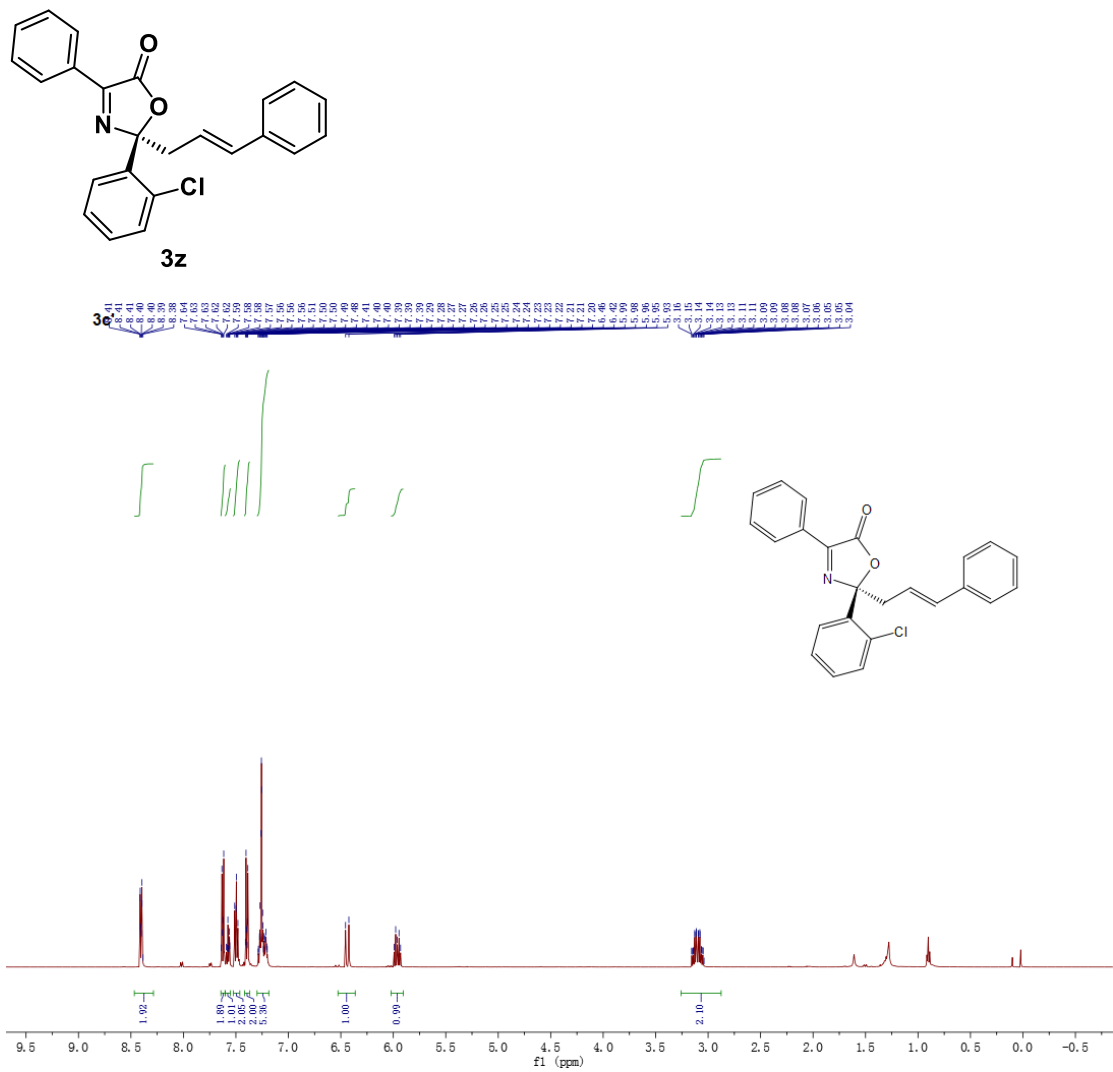




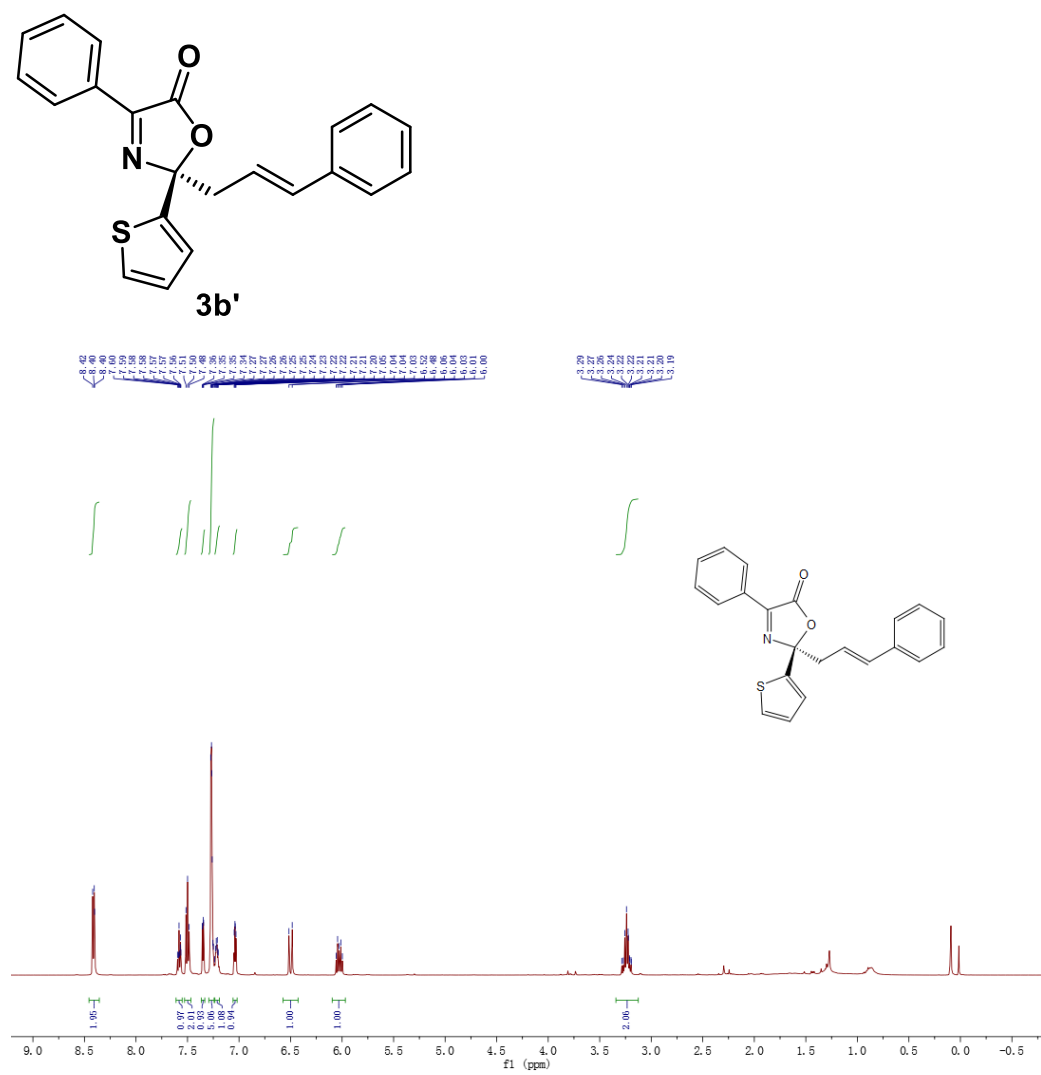
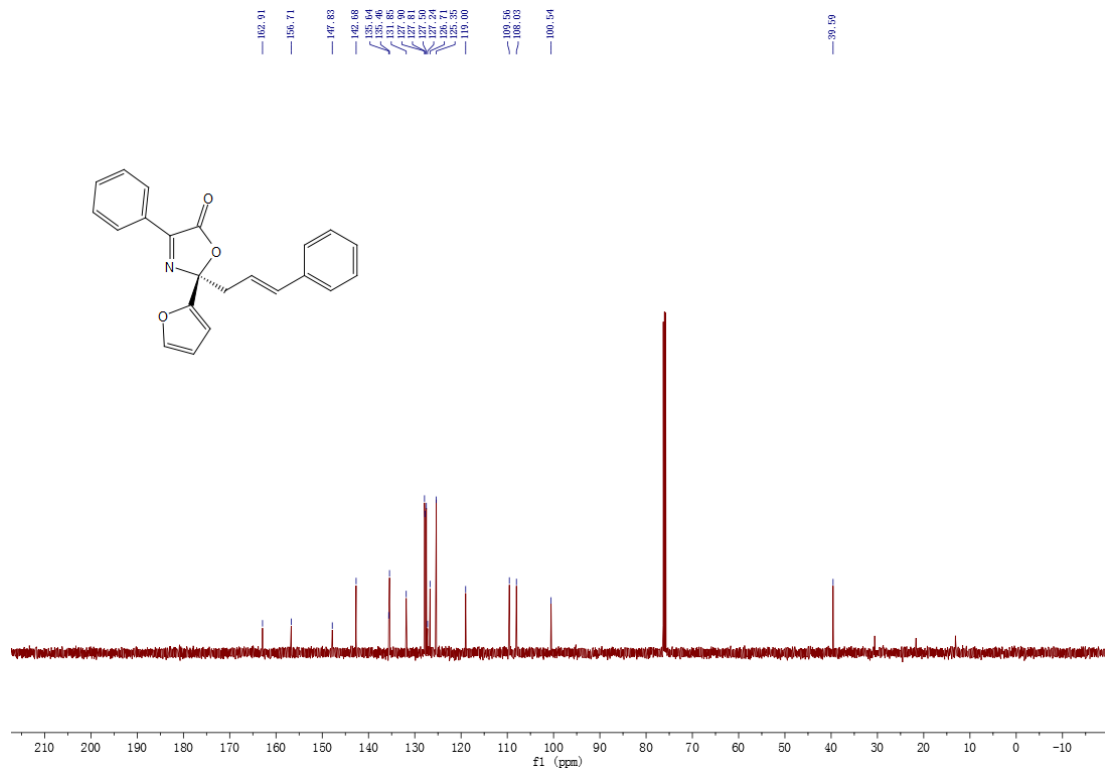




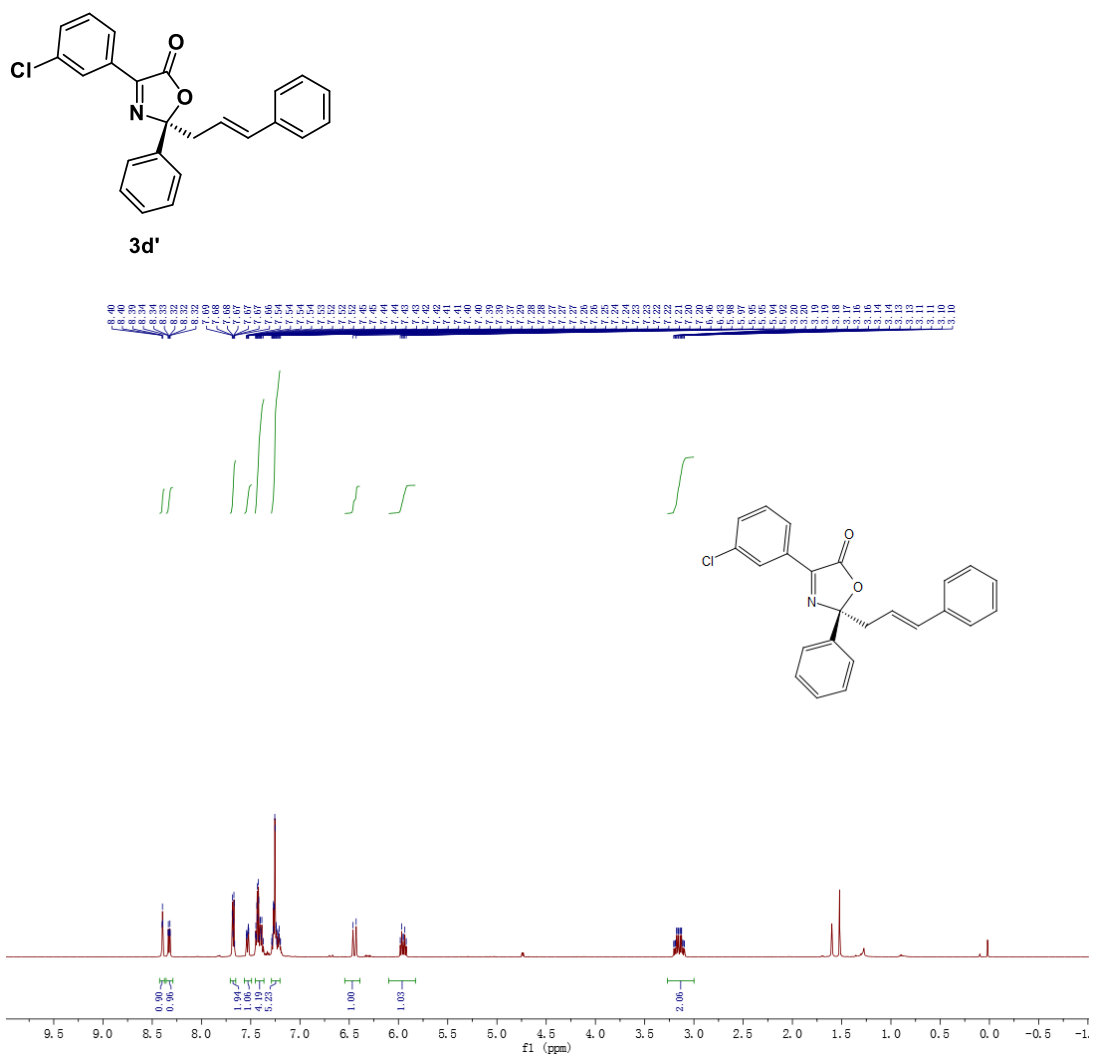
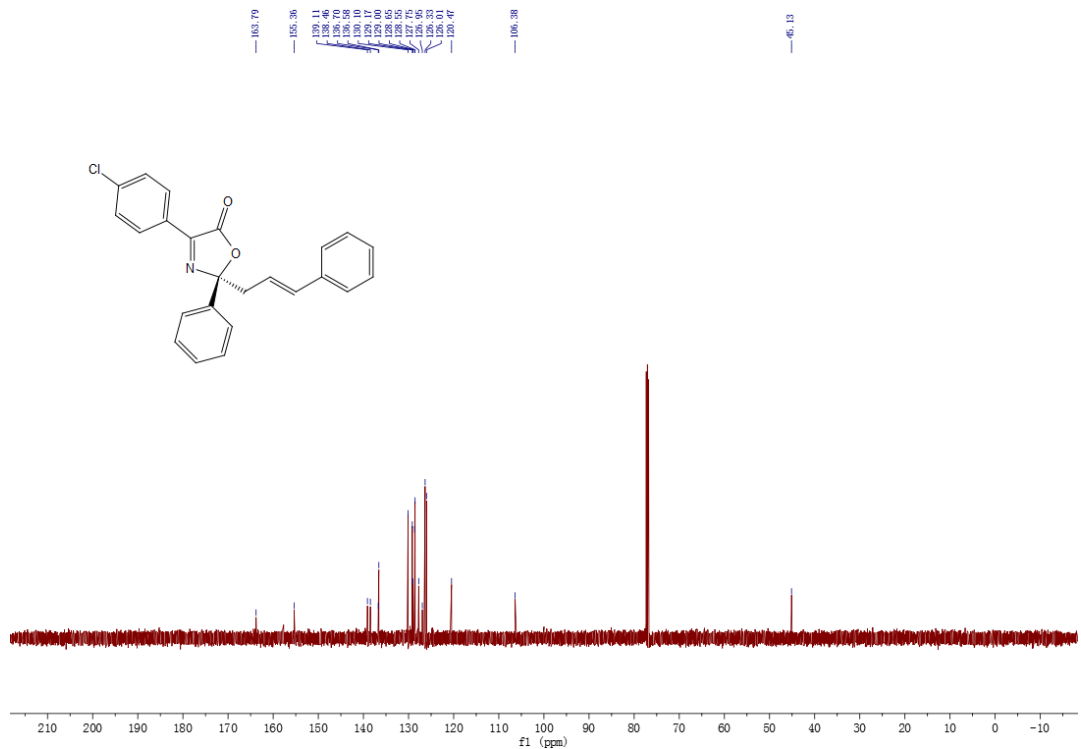


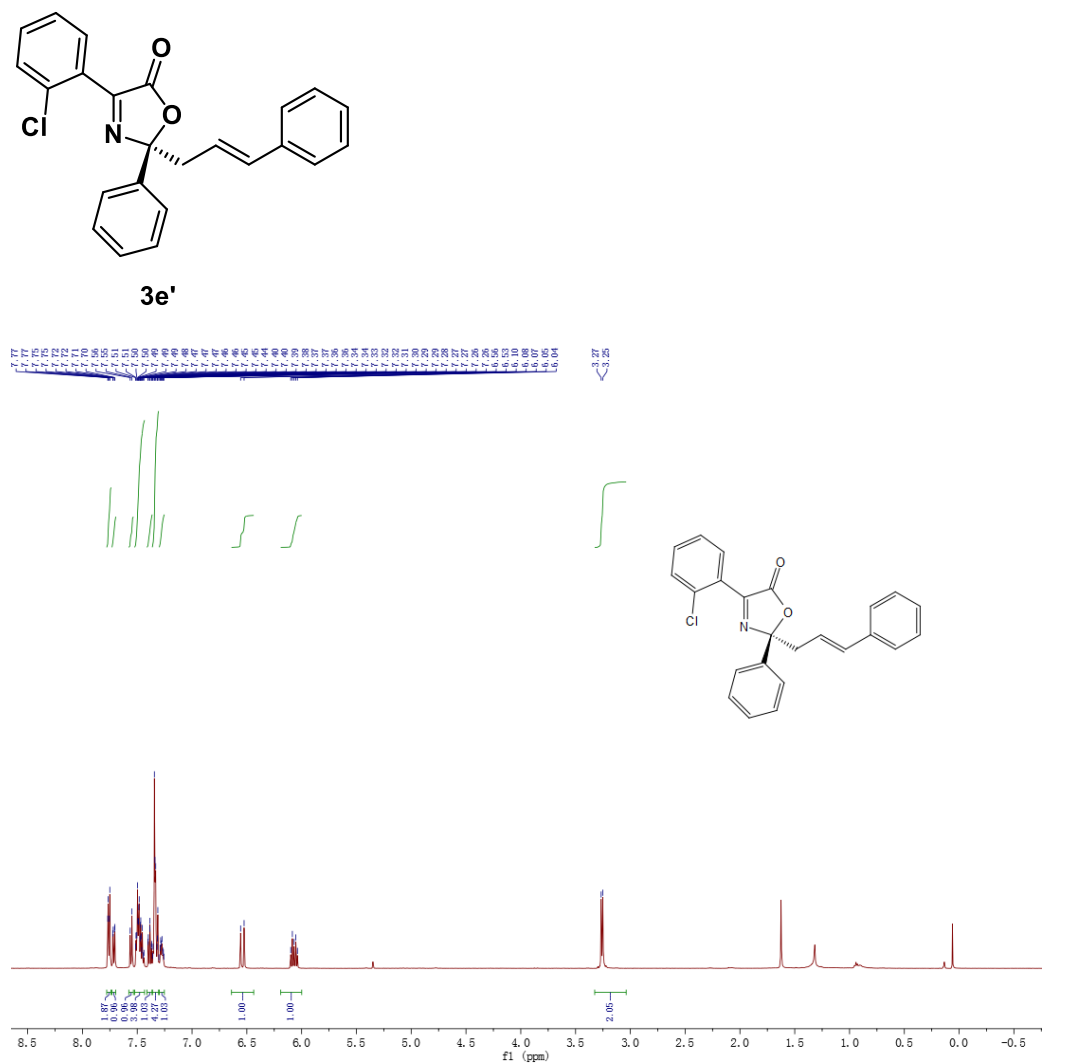
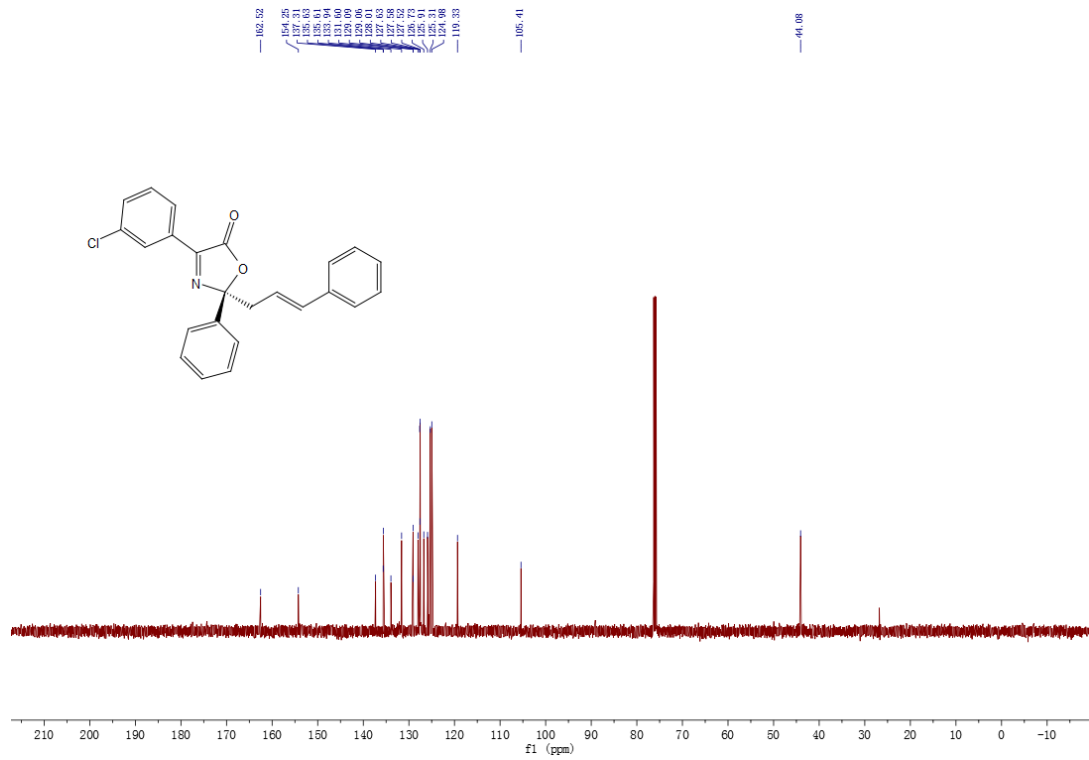




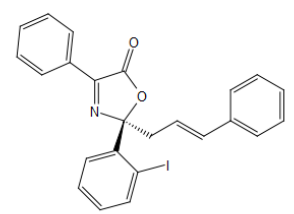
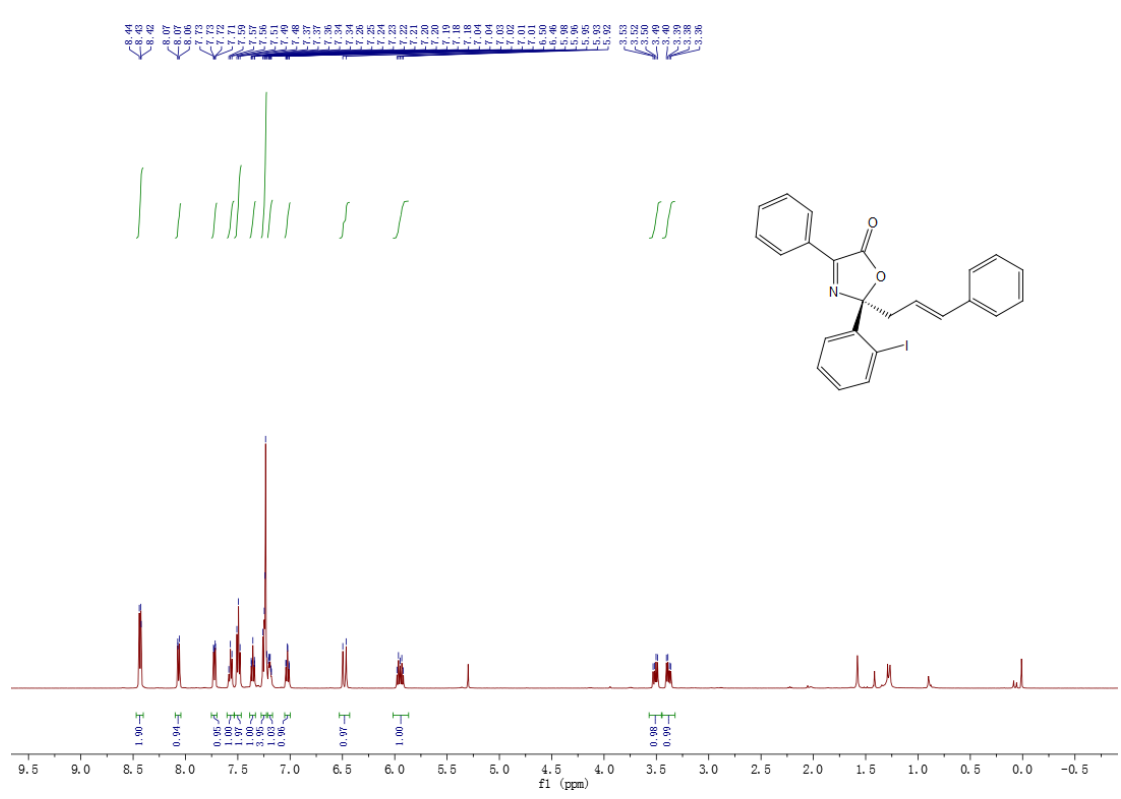
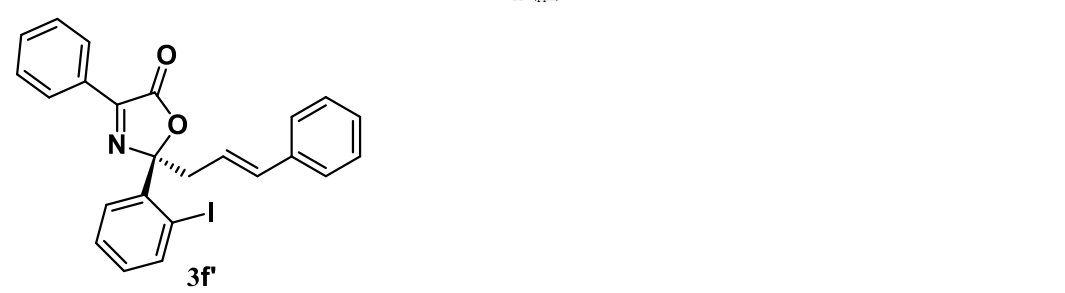
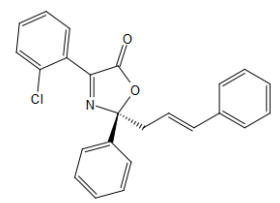
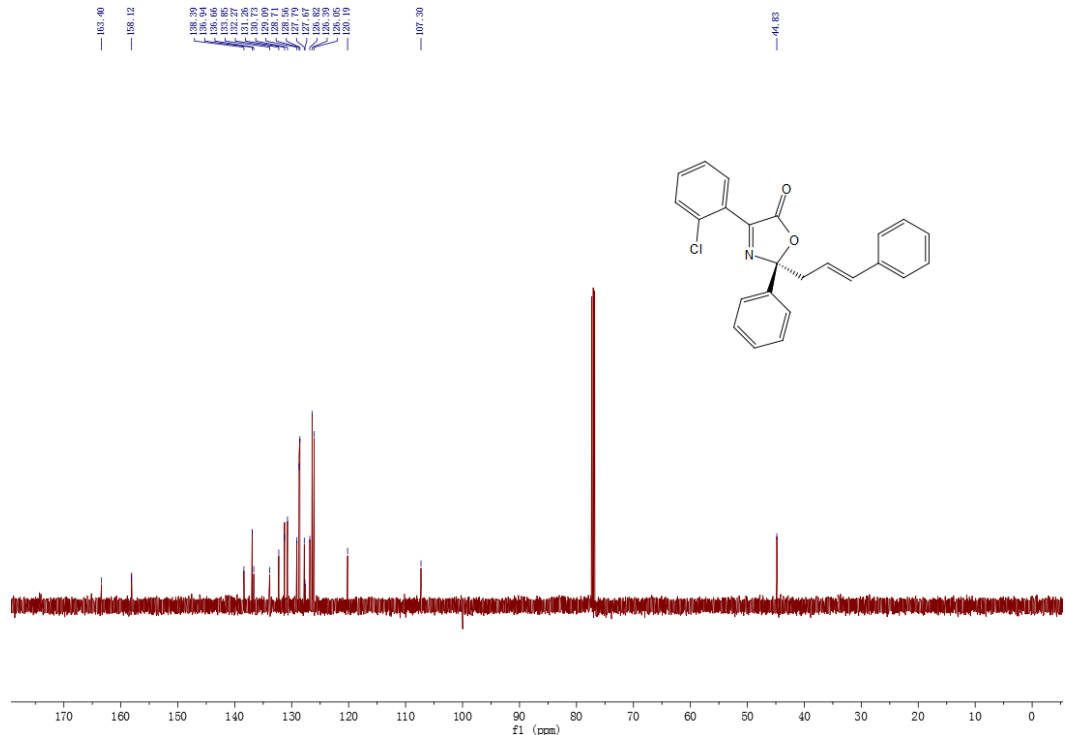


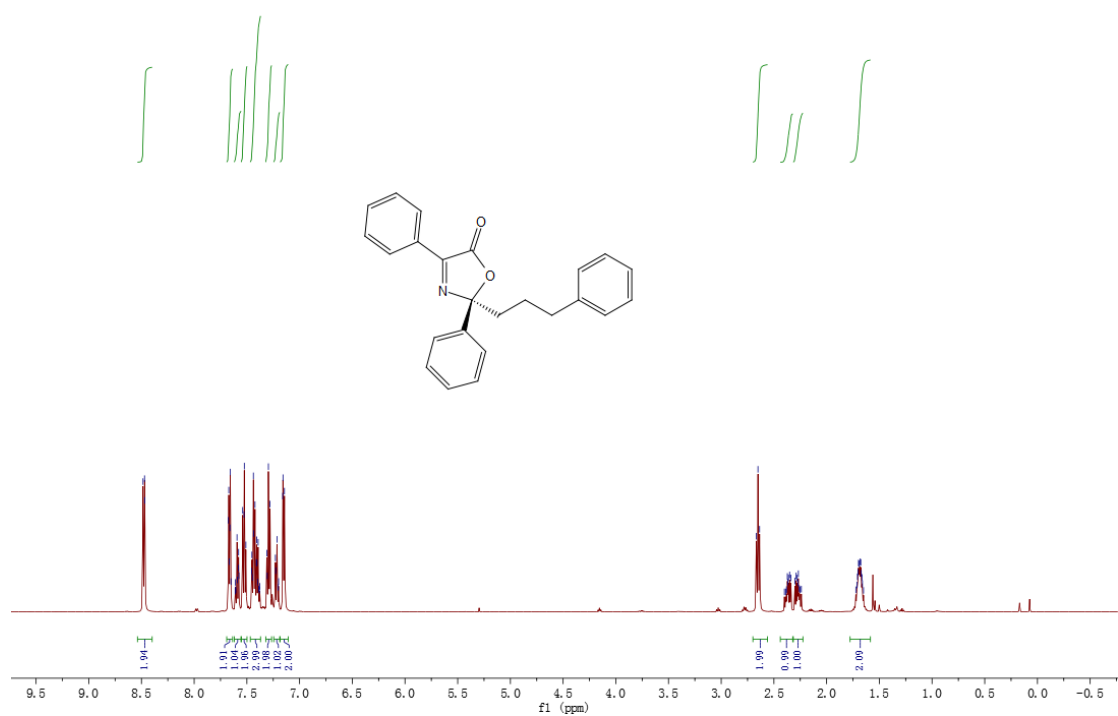
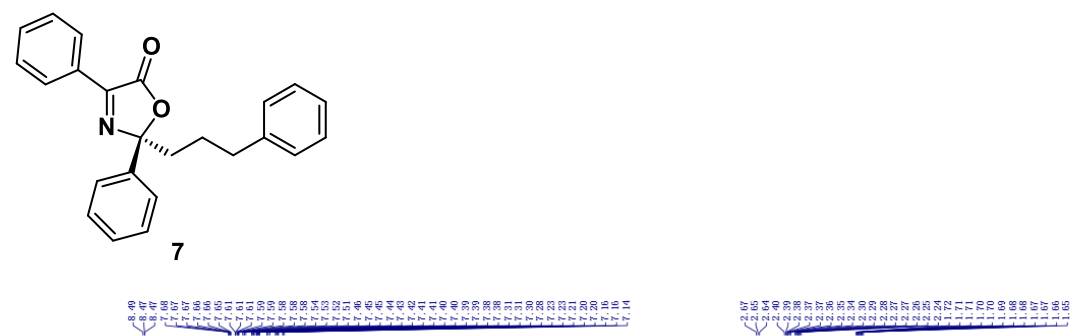
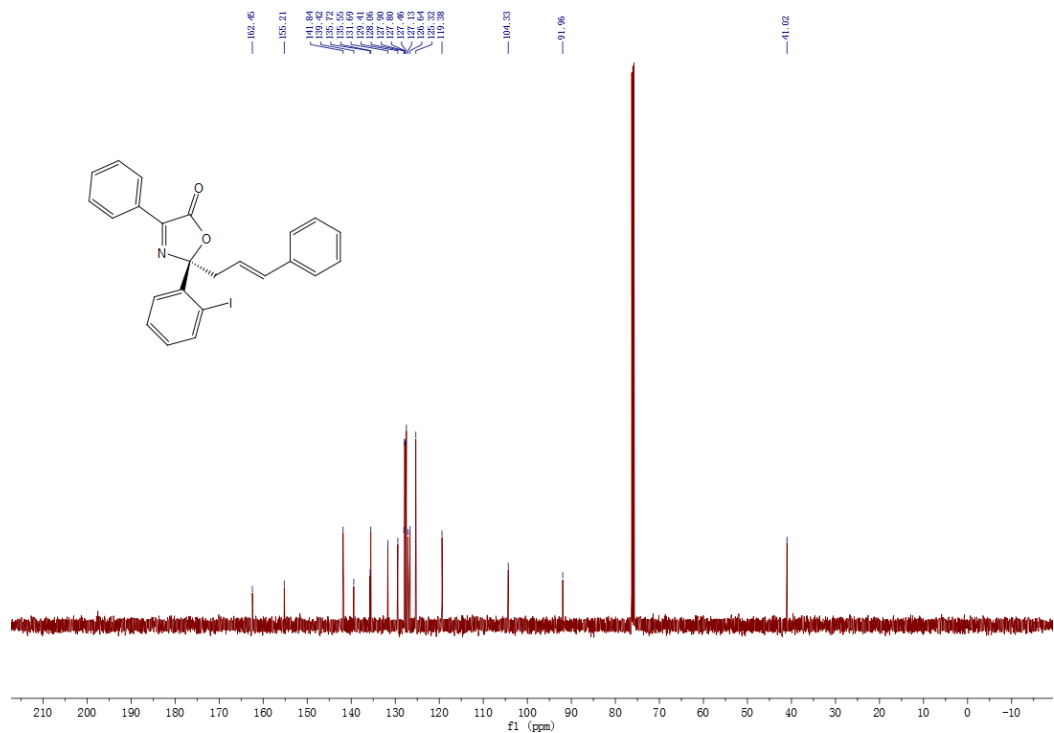


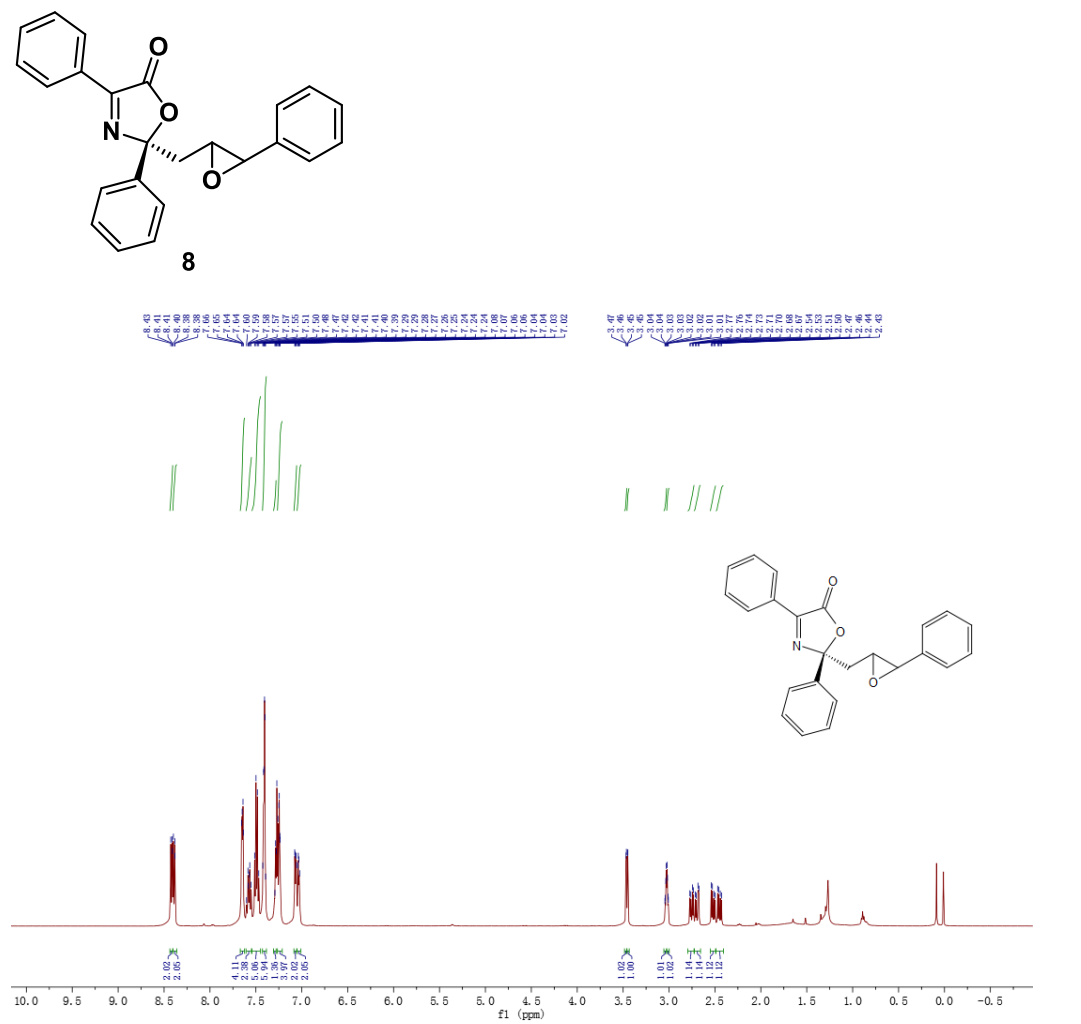
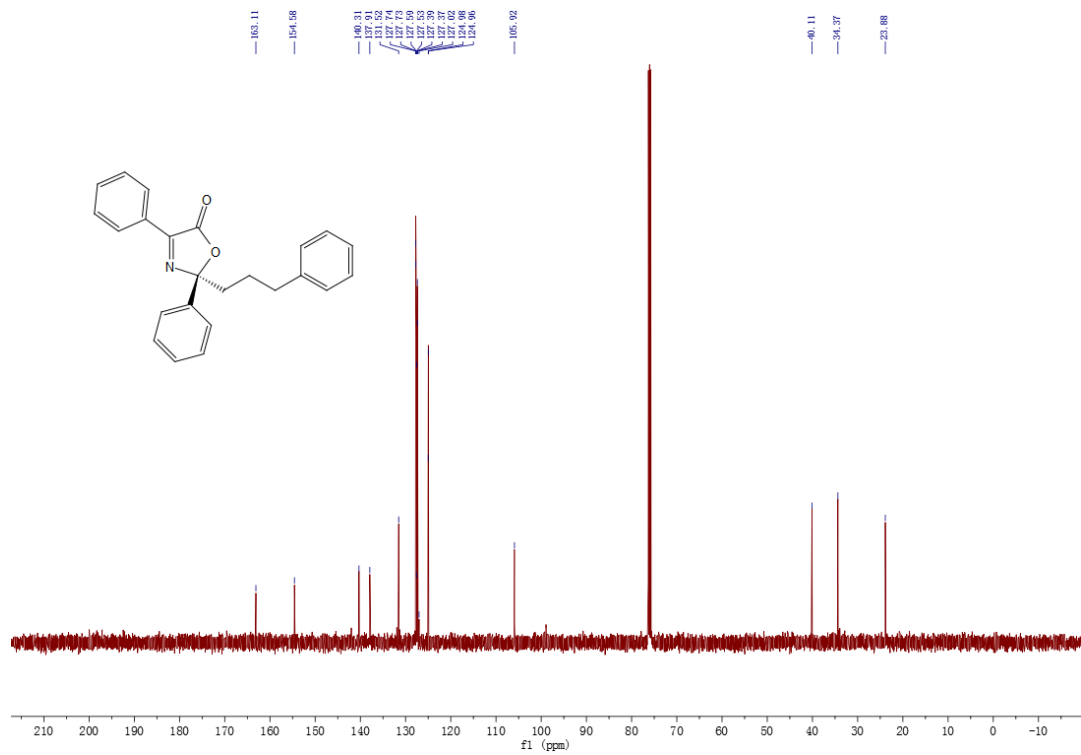


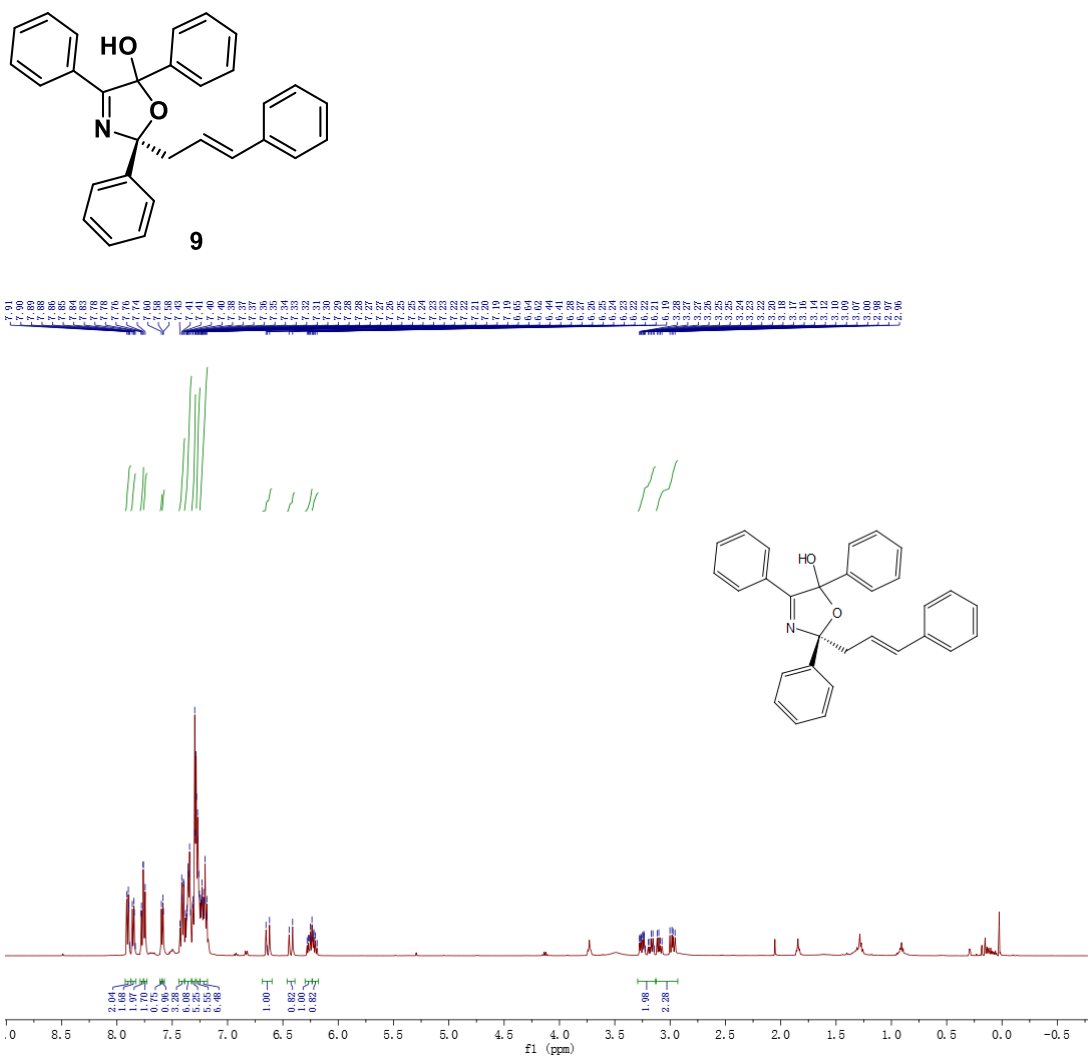
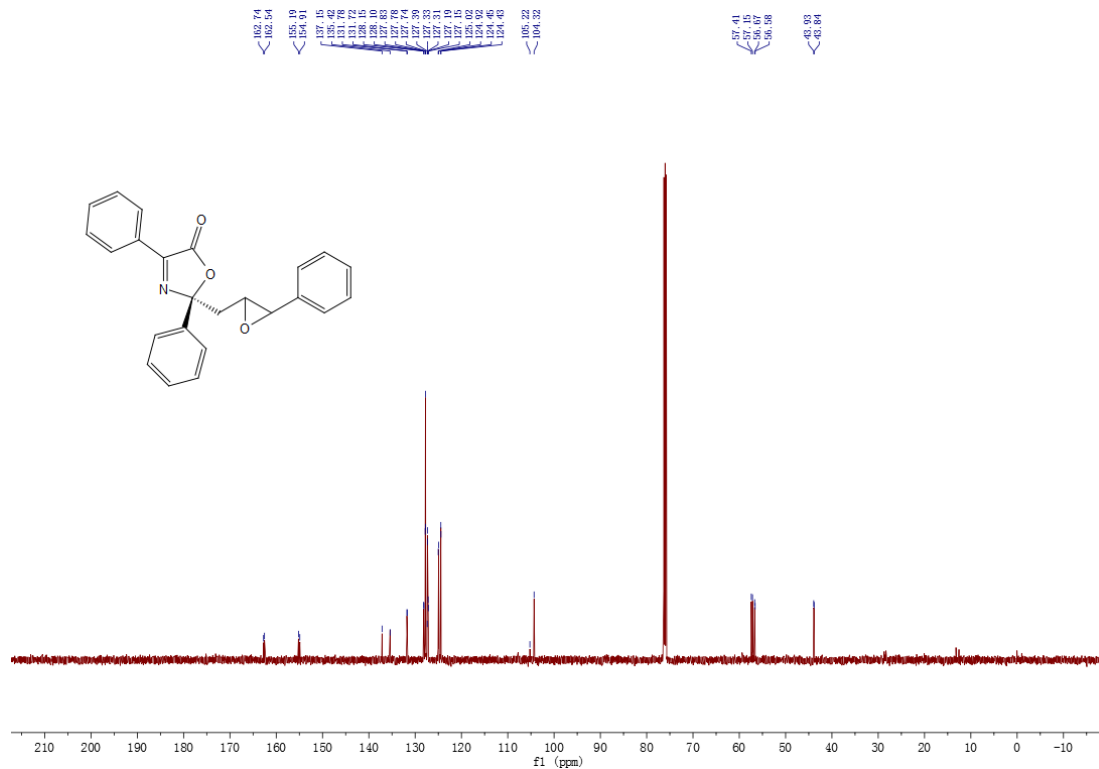






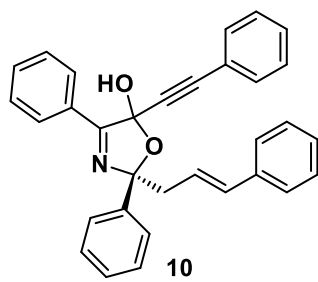
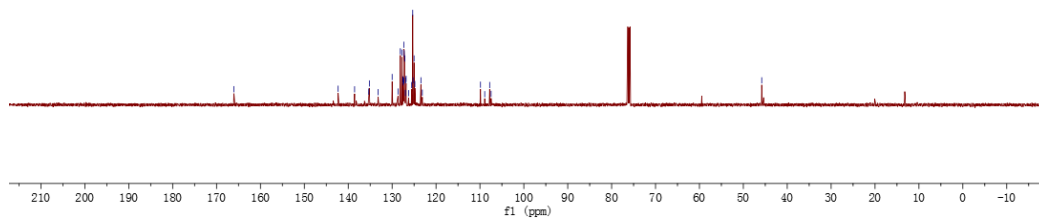
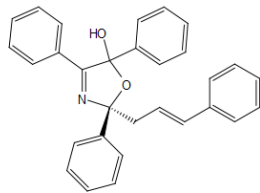




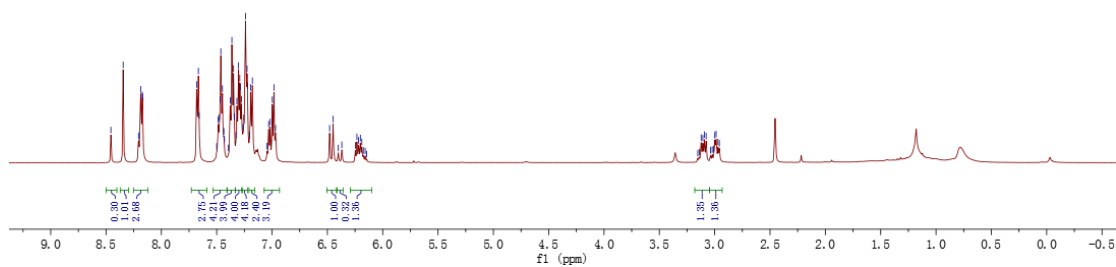
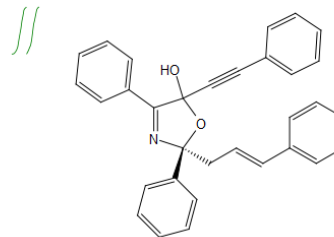
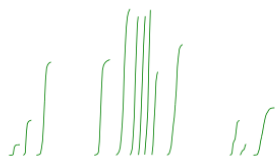


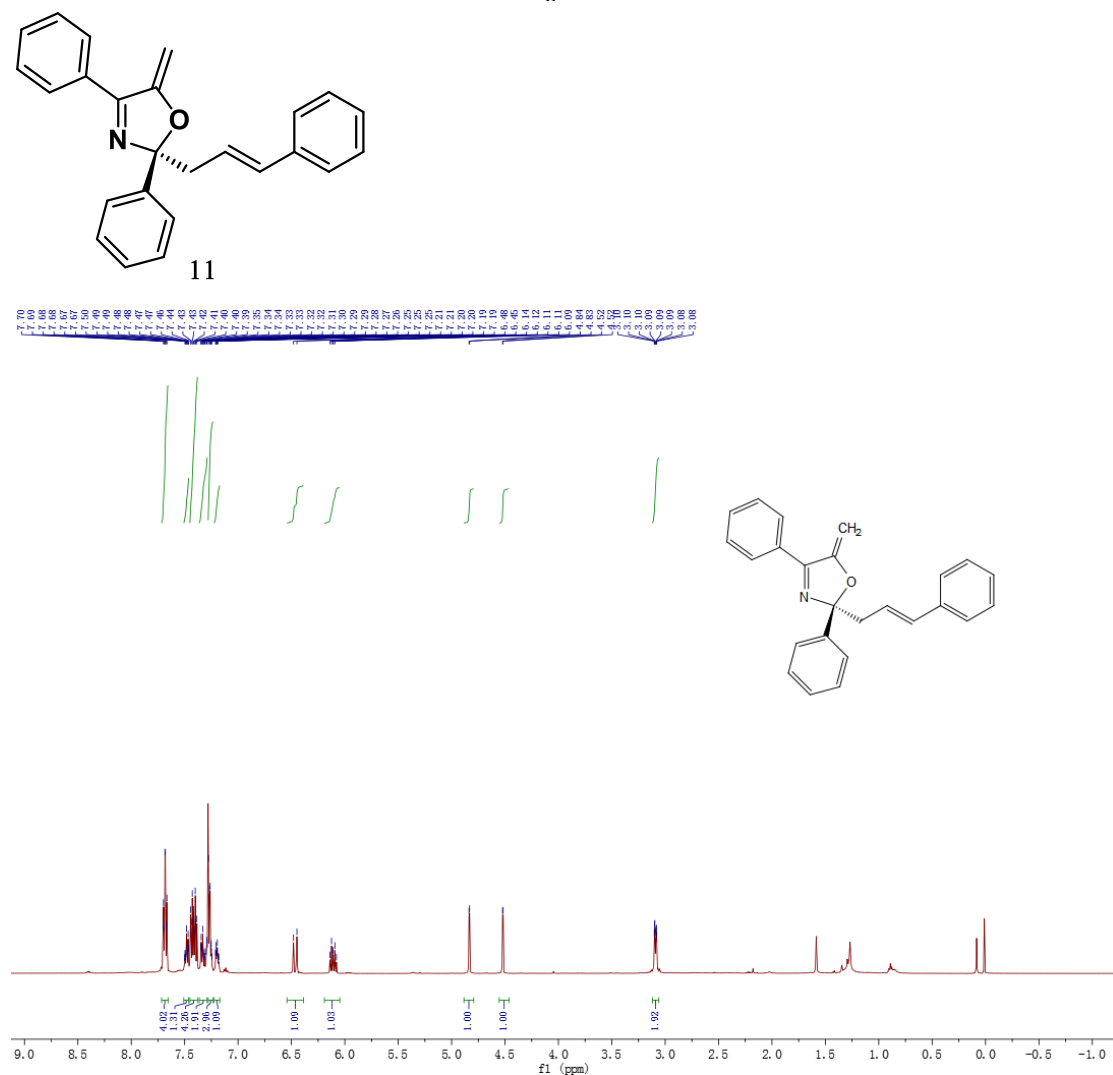
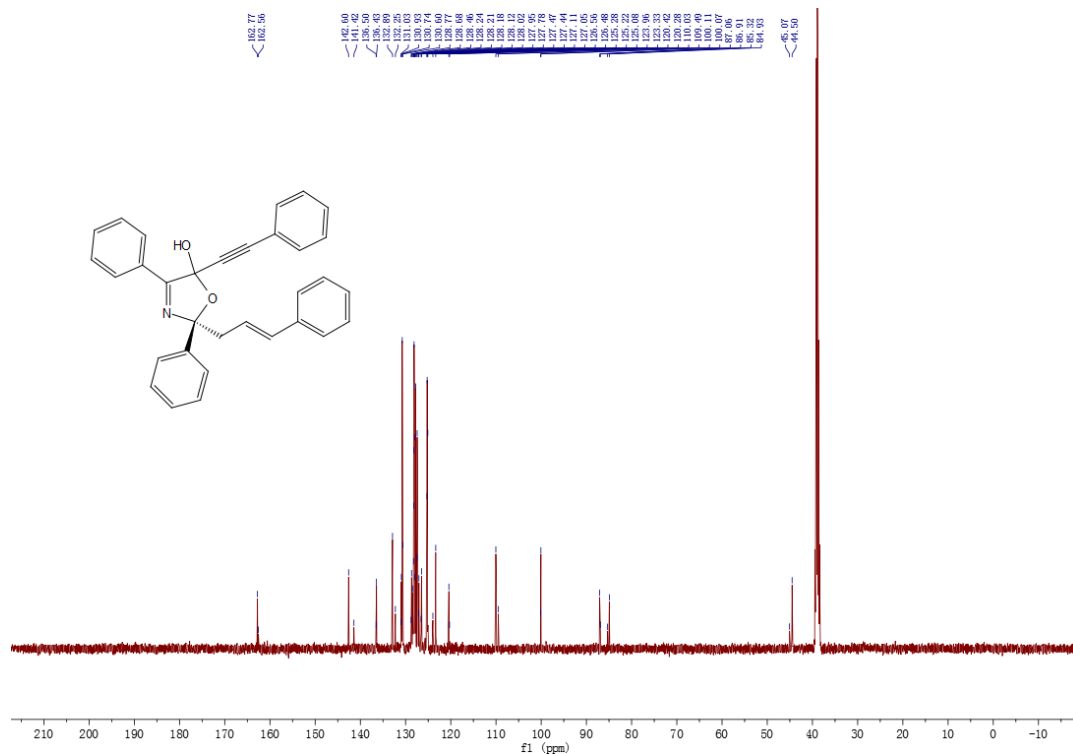
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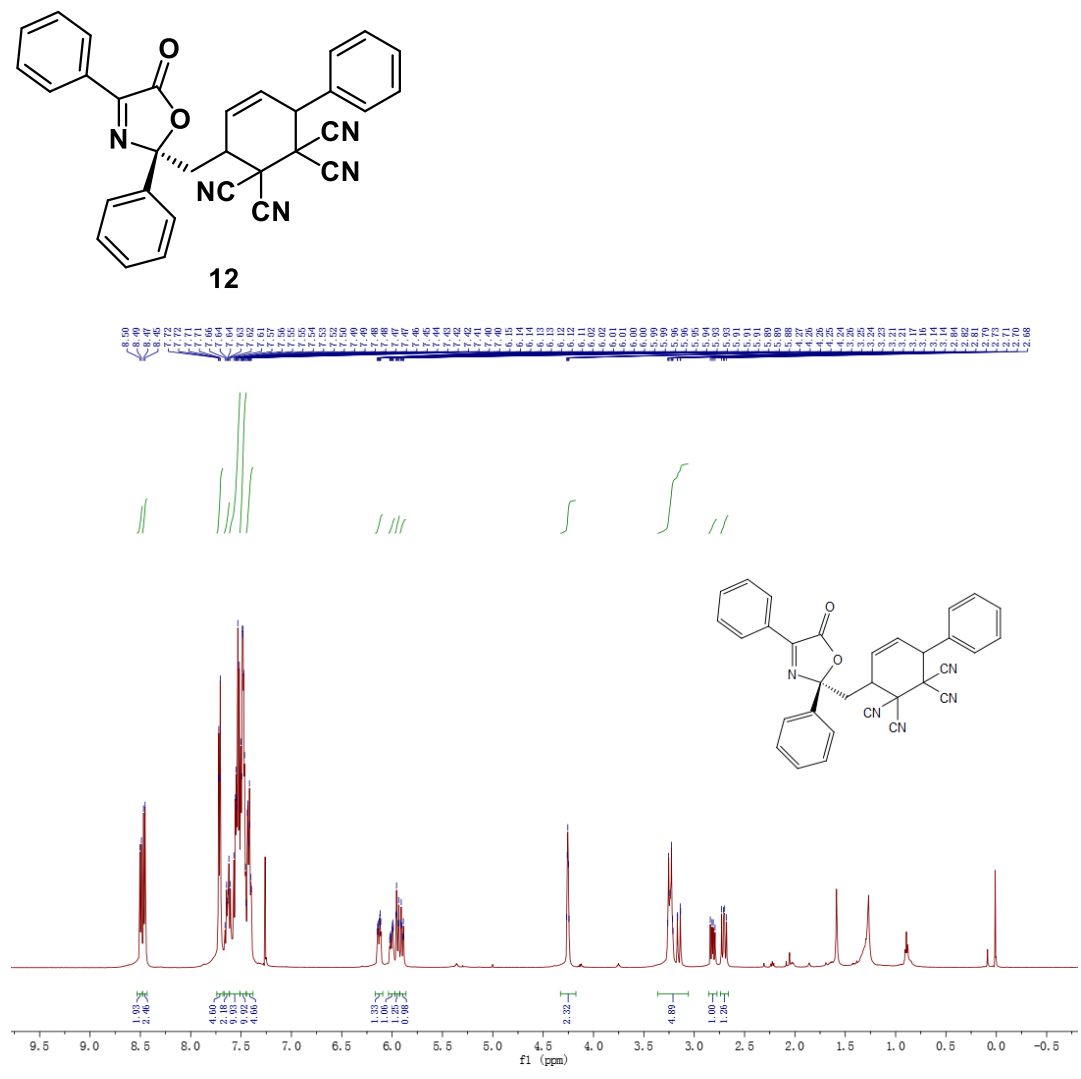
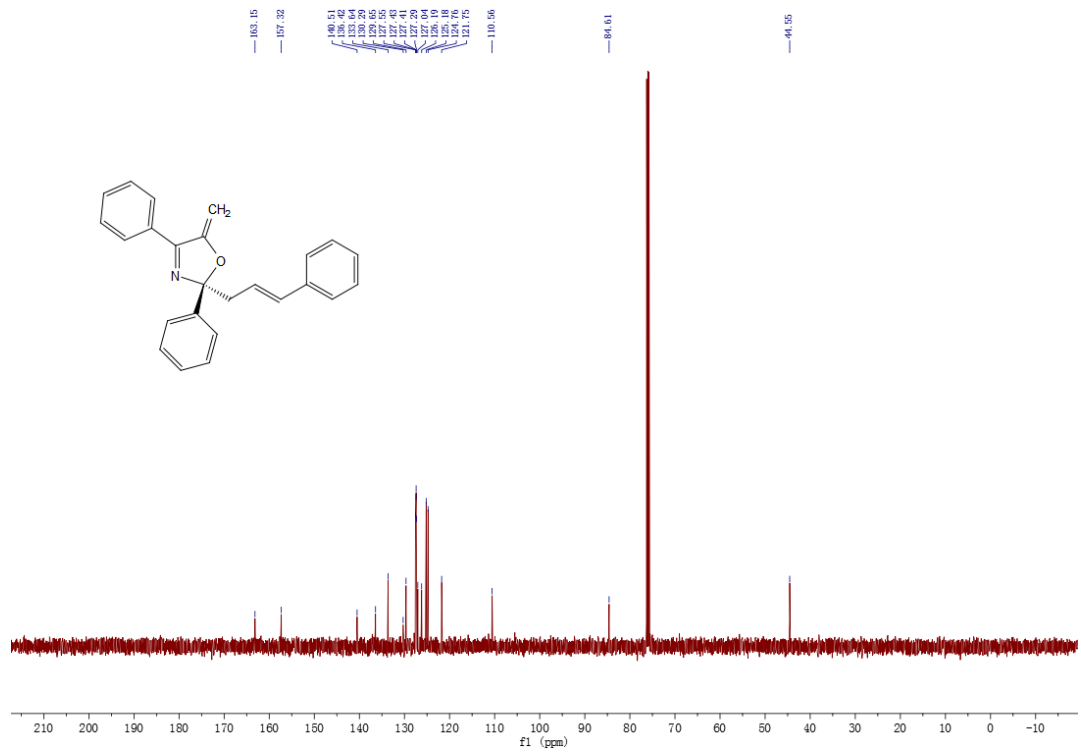
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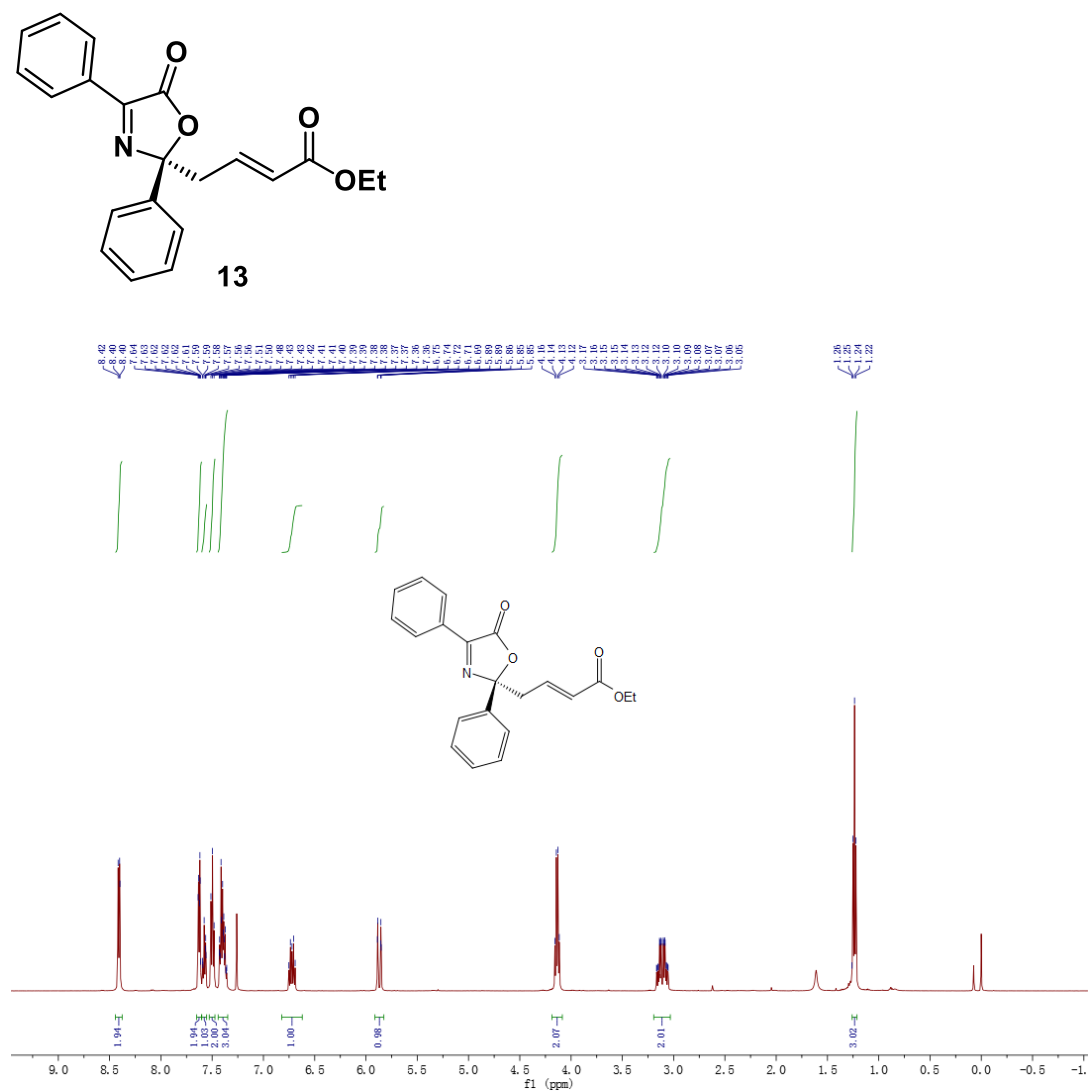
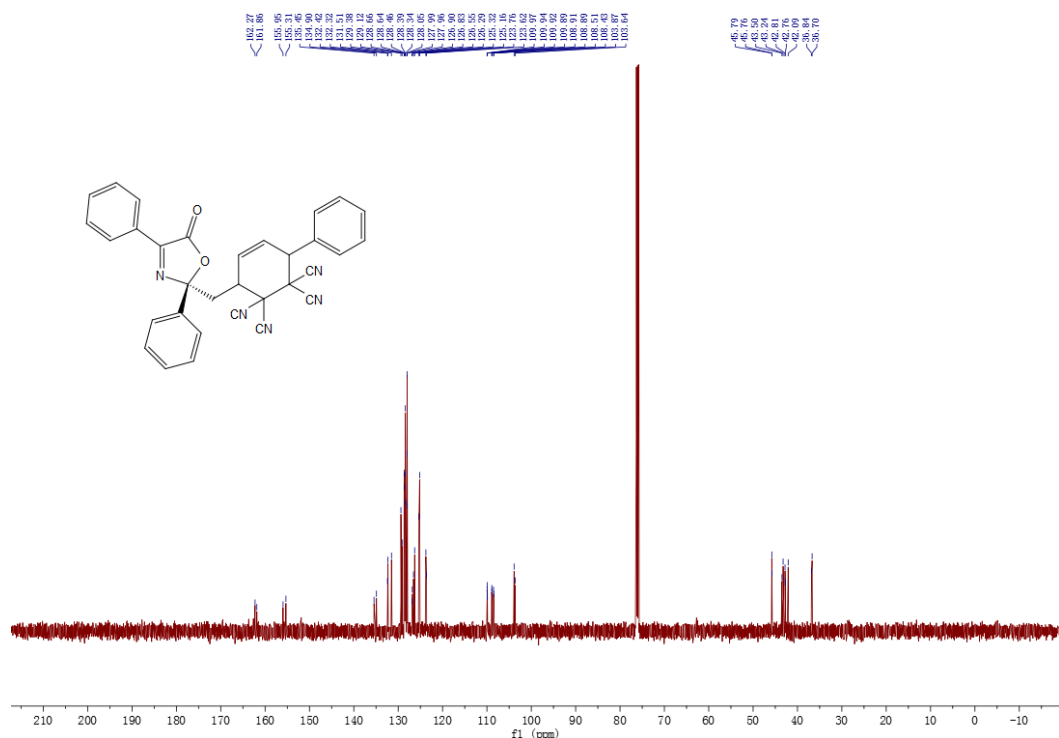


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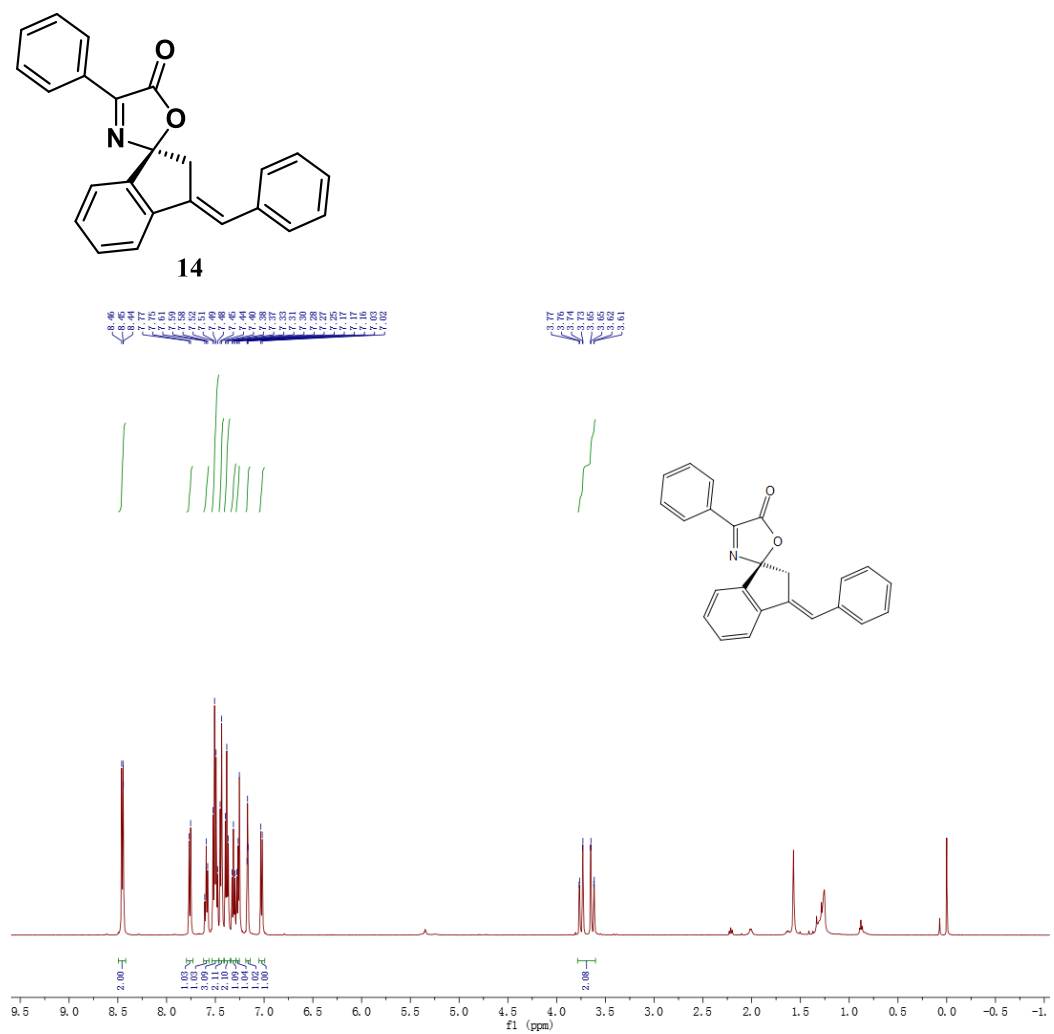
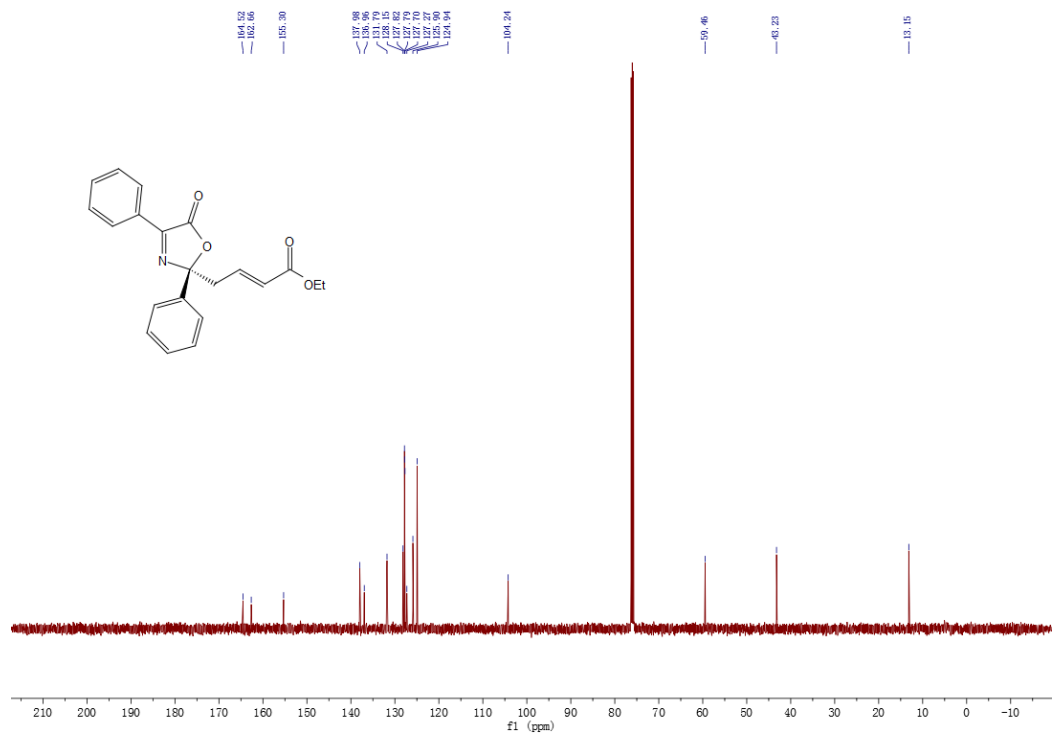


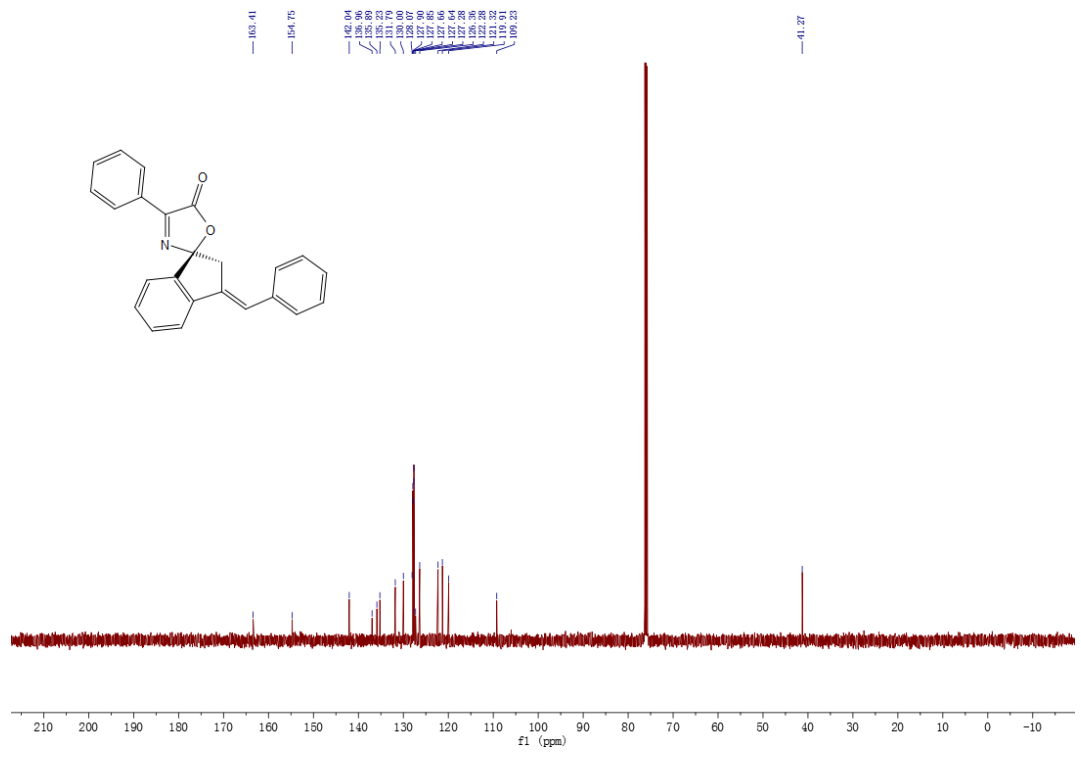








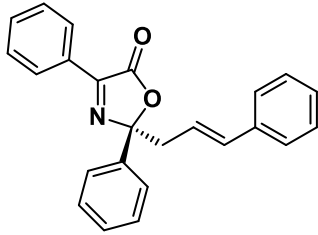




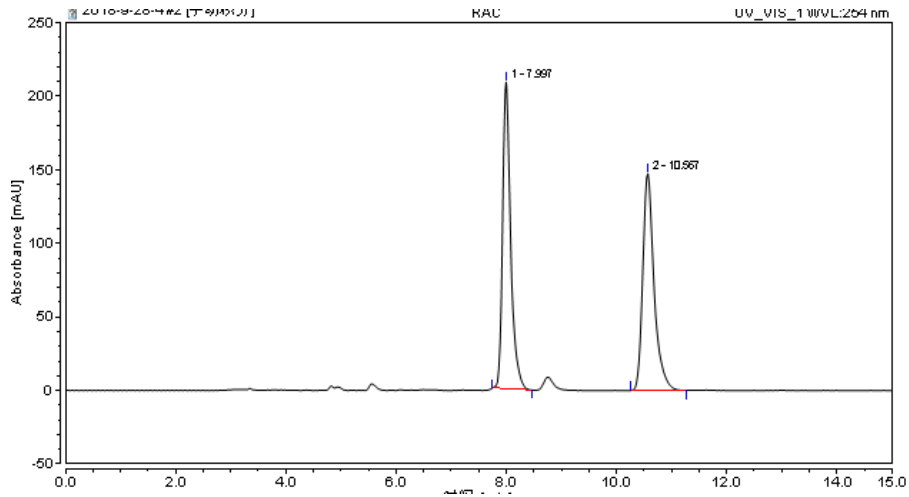
## HPLC data

For comparison of Chinese and English of the HPLC data table.

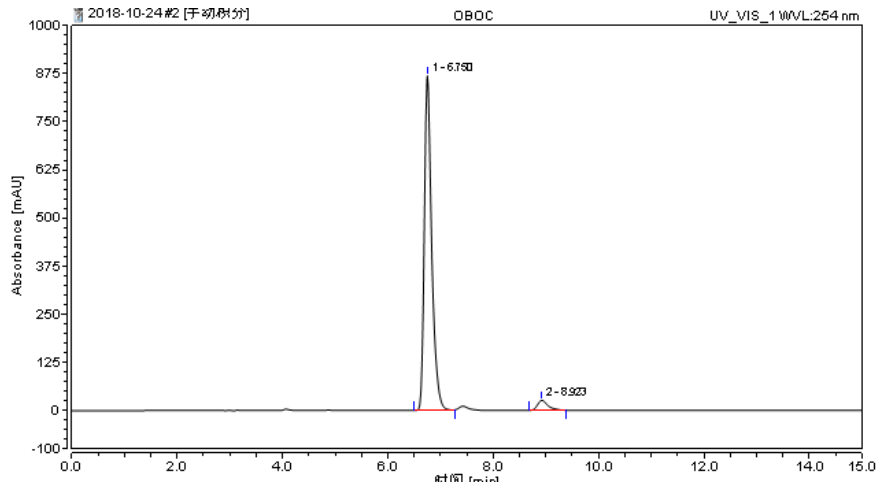
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3a



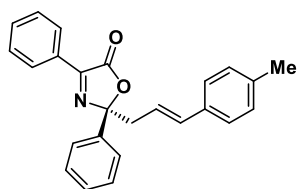
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2		10.567	35.057	147.645	49.88	41.47	n.a.



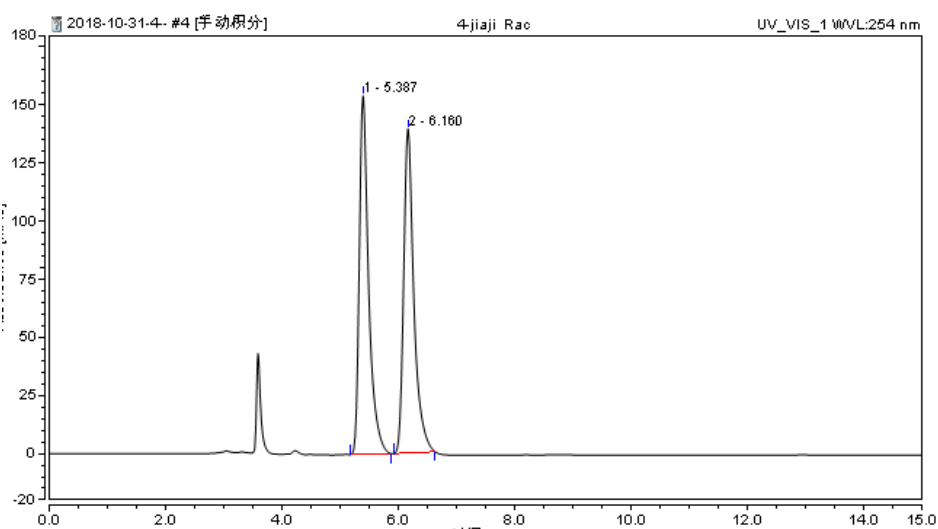
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.750	140.535	868.466	95.87	97.08	n.a.
2		8.923	6.053	26.127	4.13	2.92	n.a.

For comparison of Chinese and English of the HPLC data table.

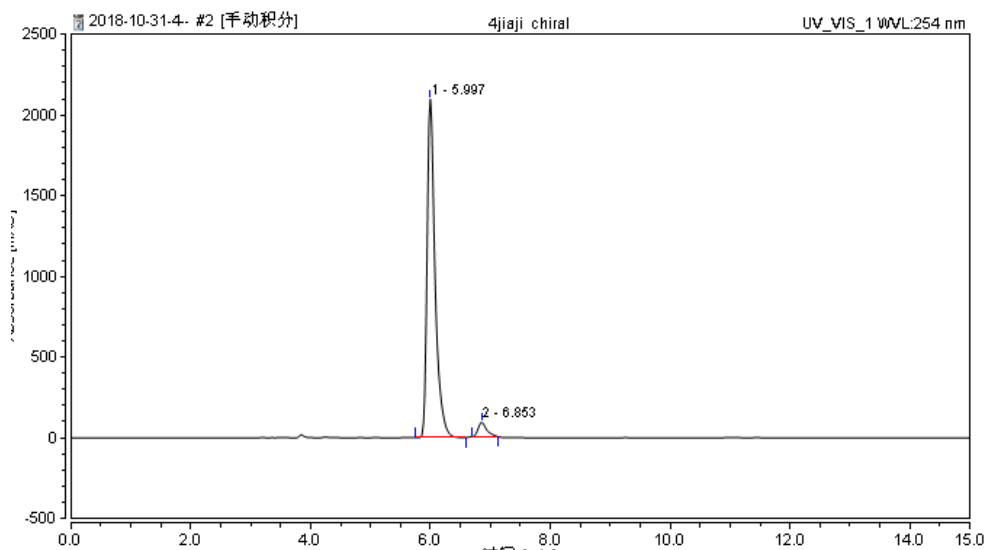
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3b



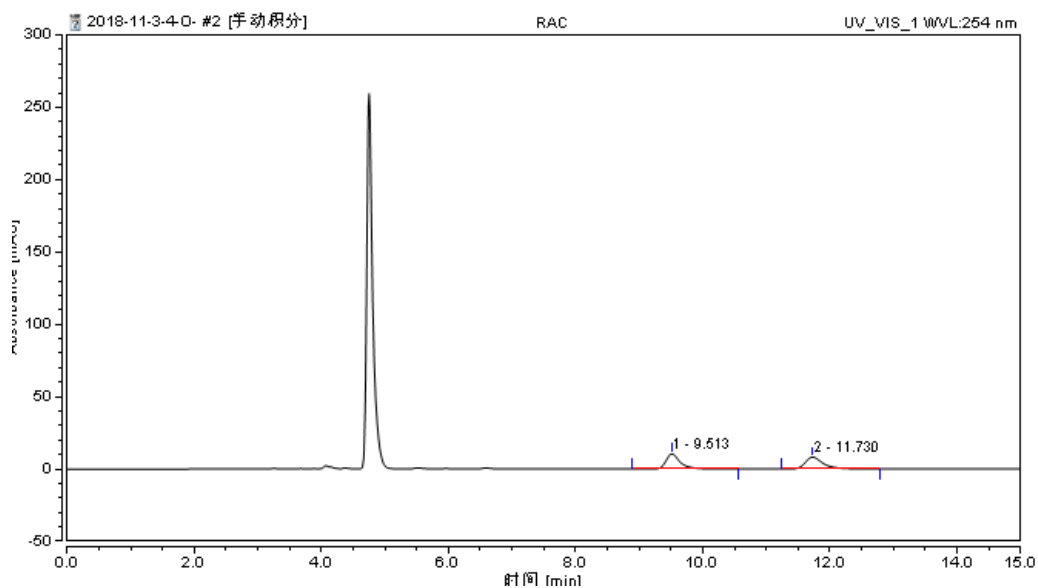
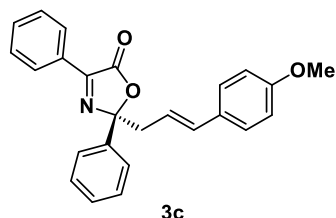
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.387	28.724	154.304	50.39	52.51	n.a.
2		6.160	28.285	139.558	49.61	47.49	n.a.



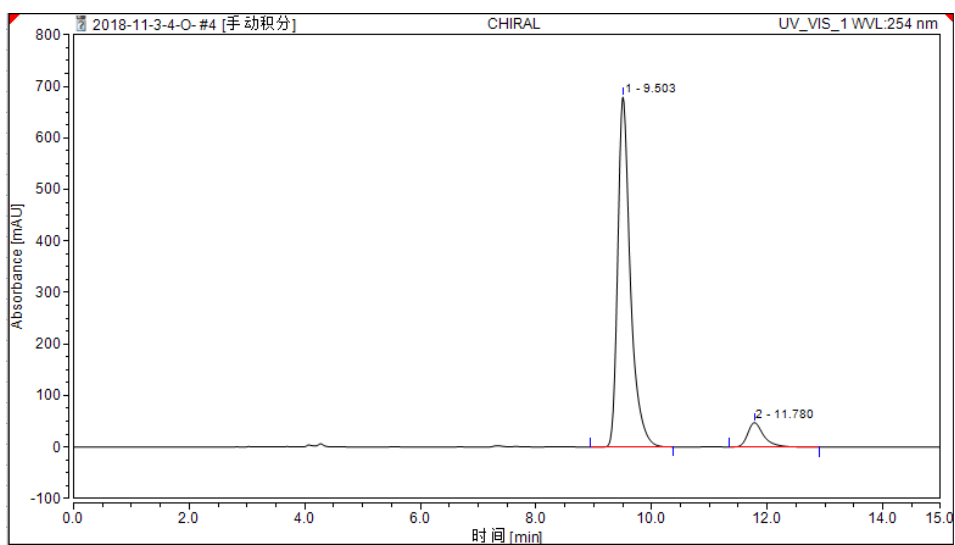
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.997	321.445	2096.436	95.58	95.94	n.a.
2		6.853	14.860	88.763	4.42	4.06	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



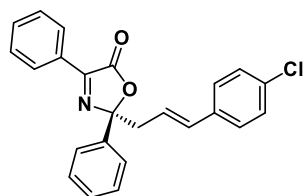
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		9.513	2.655	10.397	50.15	55.89	n.a.
2		11.730	2.639	8.204	49.85	44.11	n.a.



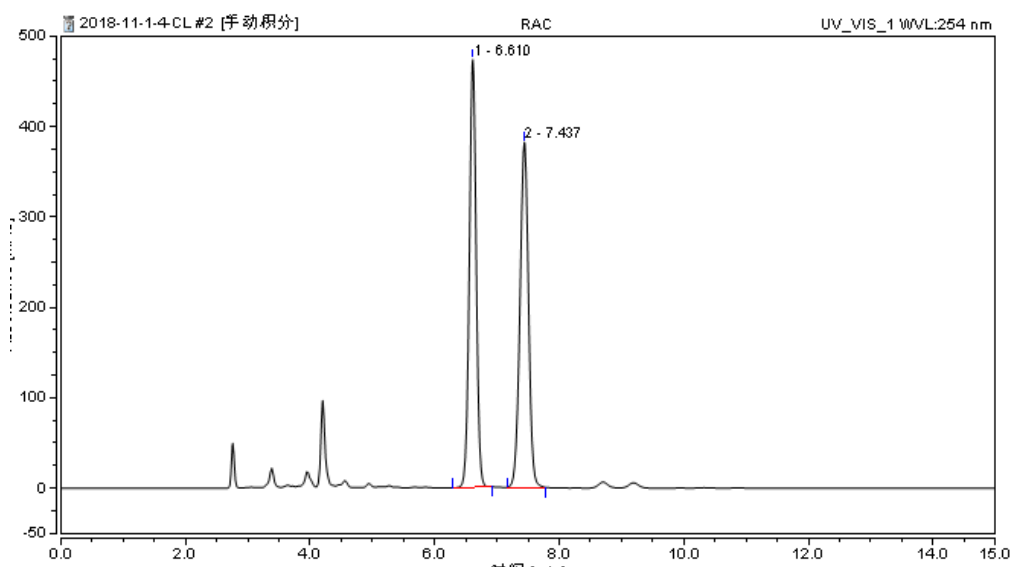
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		9.503	171.907	679.085	91.93	93.49	n.a.
2		11.780	15.082	47.322	8.07	6.51	n.a.

For comparison of Chinese and English of the HPLC data table.

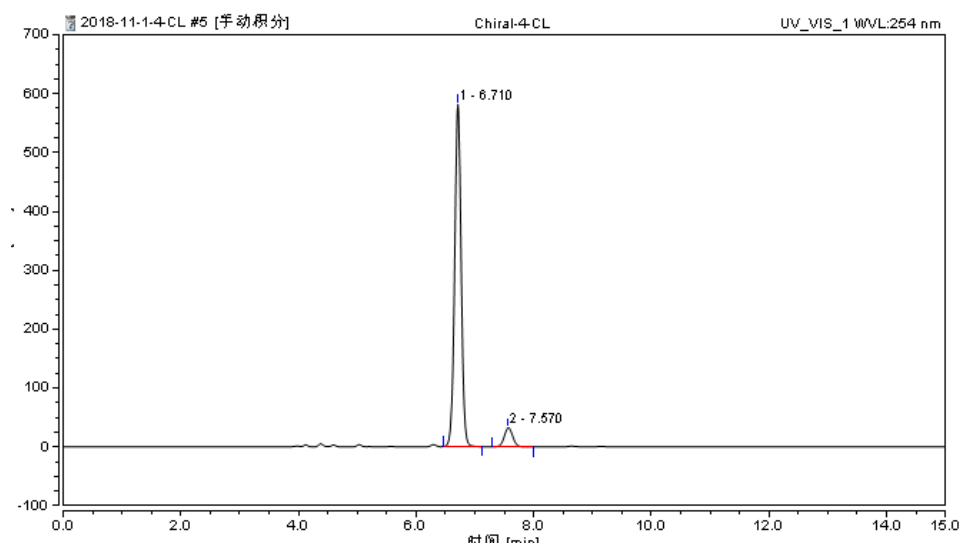
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3d



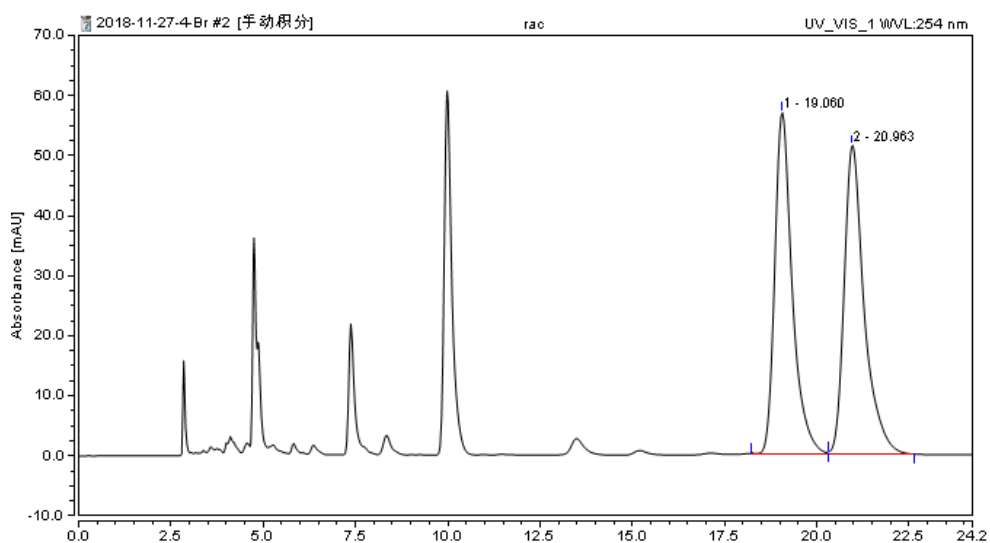
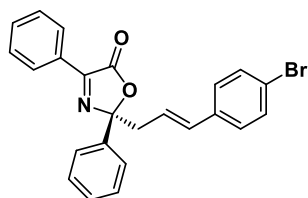
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.610	60.342	473.152	49.99	55.33	n.a.
2		7.437	60.371	381.963	50.01	44.67	n.a.



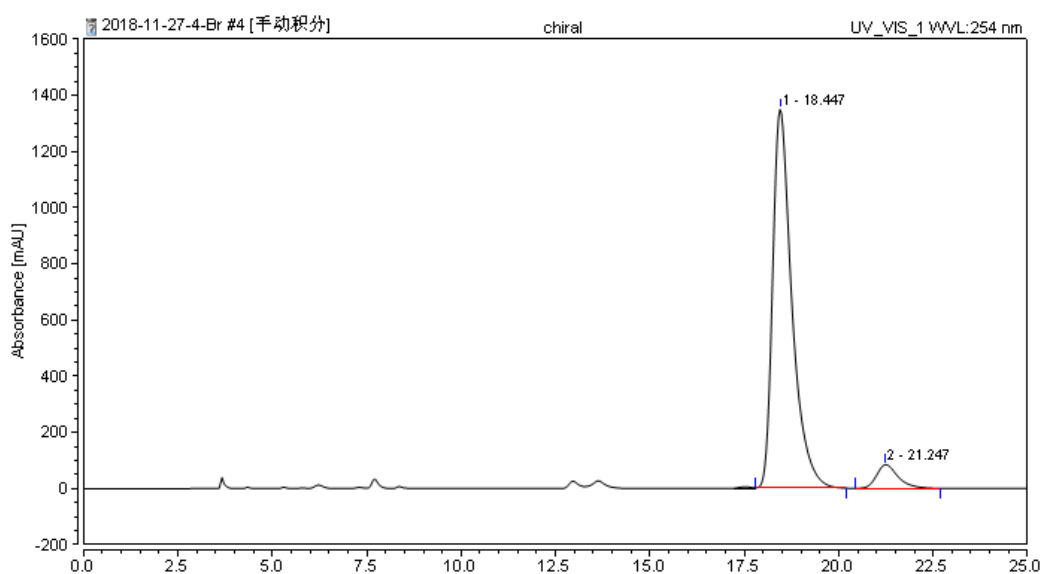
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.710	74.384	581.569	93.19	94.67	n.a.
2		7.570	5.435	32.737	6.81	5.33	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



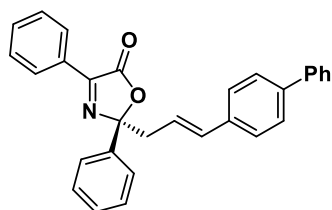
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		19.060	31.042	56.809	49.10	52.49	n.a.
2		20.963	32.180	51.428	50.90	47.51	n.a.



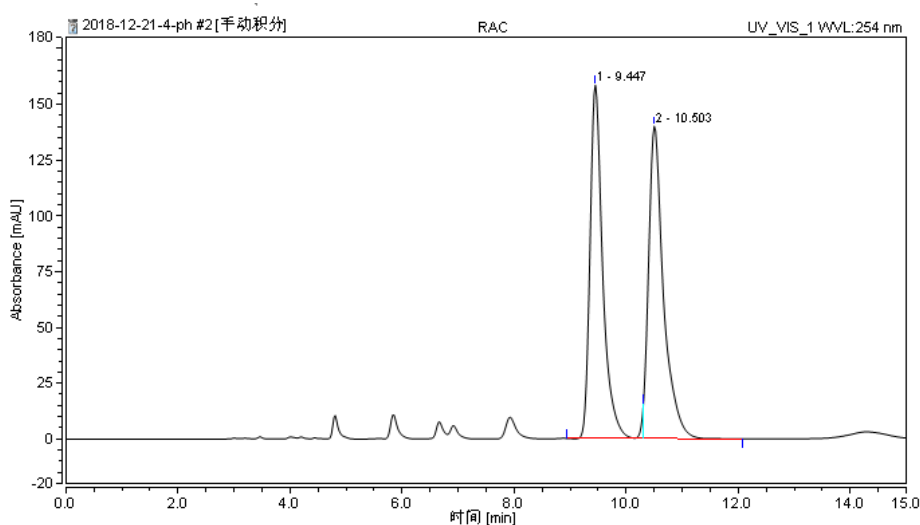
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		18.447	797.856	1349.756	93.45	94.11	n.a.
2		21.247	55.899	84.509	6.55	5.89	n.a.

For comparison of Chinese and English of the HPLC data table.

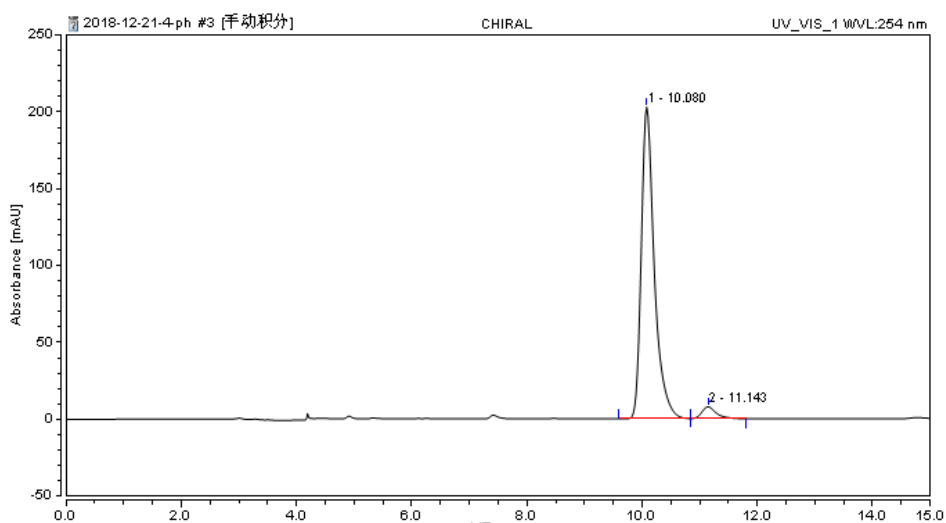
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3f



序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		9.447	42.118	158.458	49.55	53.04	n.a.
2		10.503	42.885	140.277	50.45	46.96	n.a.

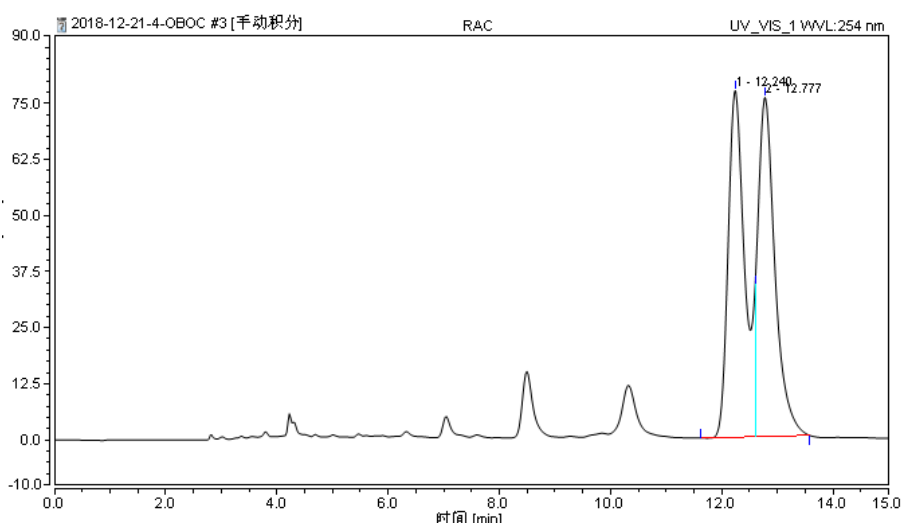
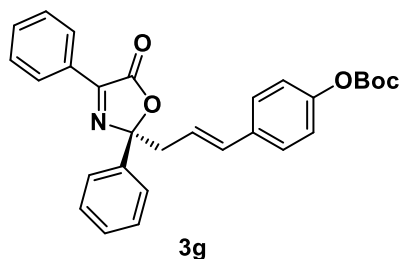


序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		10.080	53.038	202.931	95.98	96.32	n.a.
2		11.143	2.224	7.755	4.02	3.68	n.a.

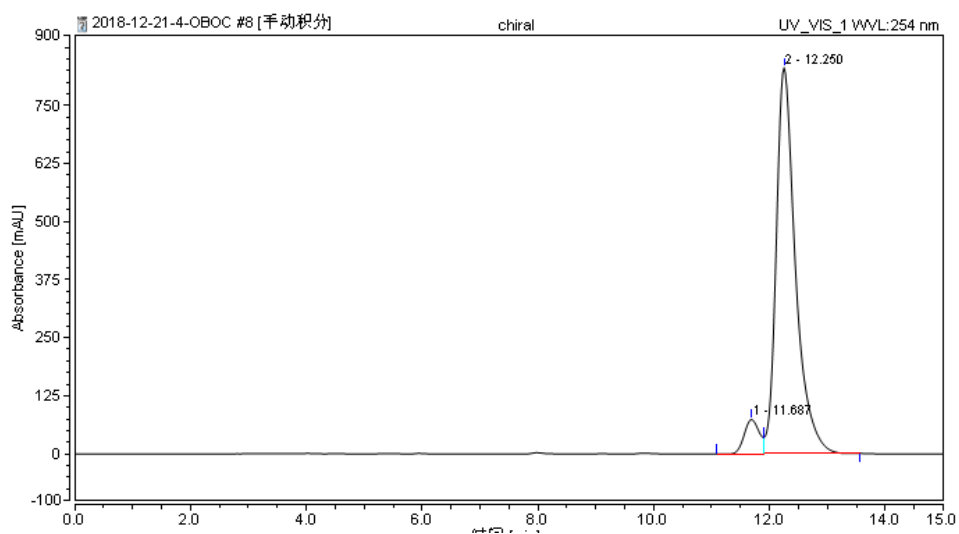


For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



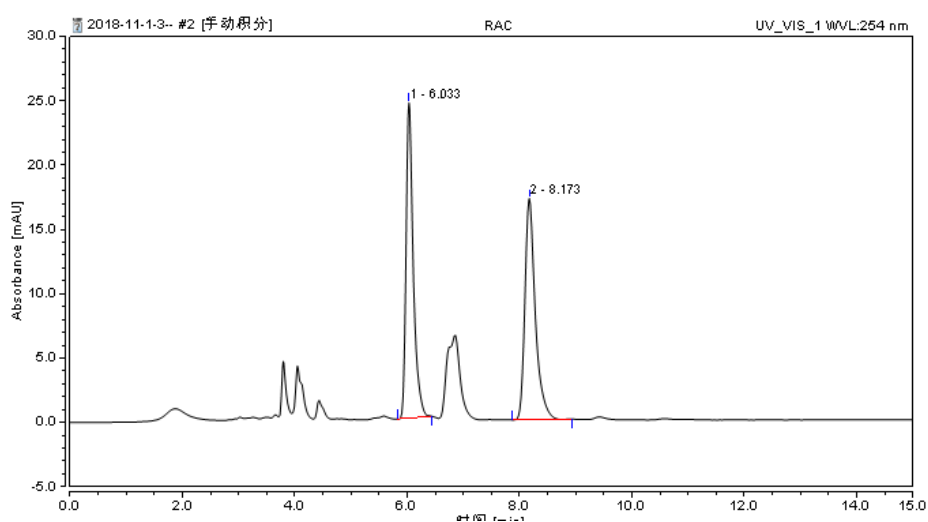
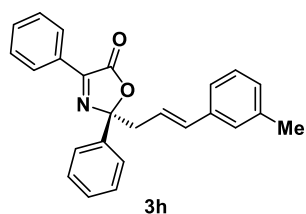
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		12.240	26.192	77.267	49.31	50.55	n.a.
2		12.777	26.924	75.573	50.69	49.45	n.a.



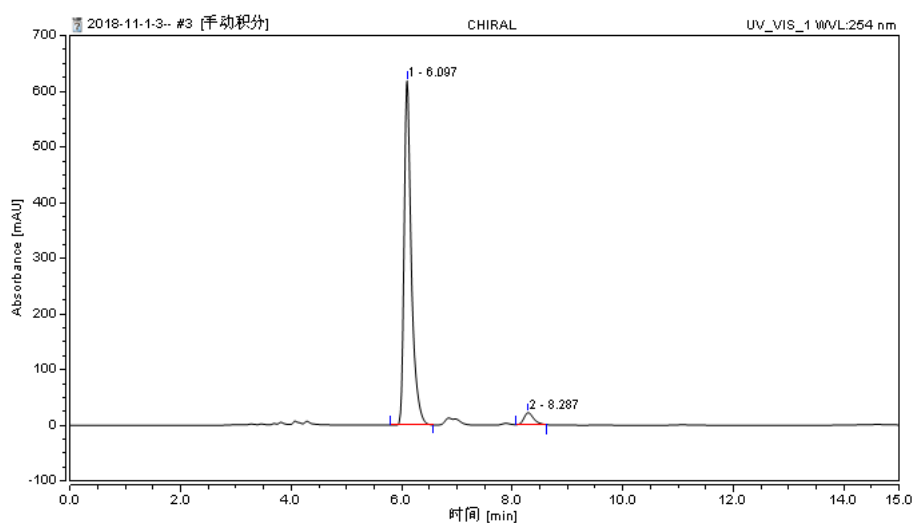
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		11.687	22.473	73.878	6.56	8.16	n.a.
2		12.250	319.872	831.155	93.44	91.84	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



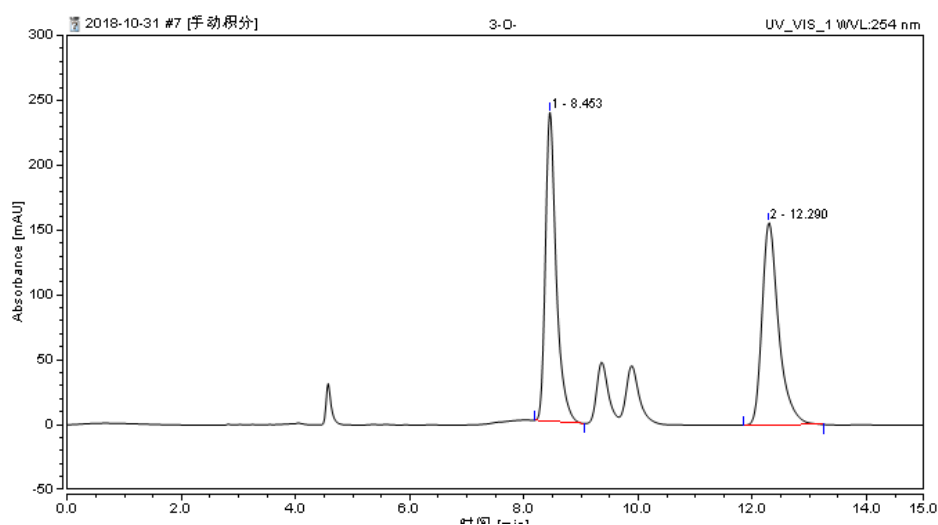
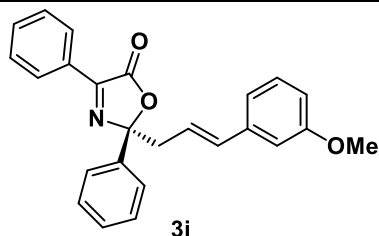
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.033	3.626	24.517	49.68	58.77	n.a.
2		8.173	3.673	17.200	50.32	41.23	n.a.



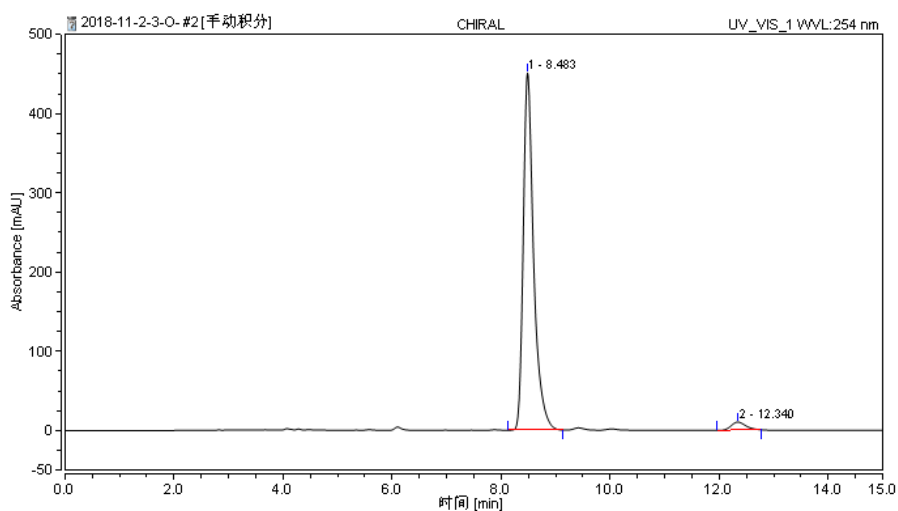
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.097	93.581	618.525	95.50	96.60	n.a.
2		8.287	4.406	21.773	4.50	3.40	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



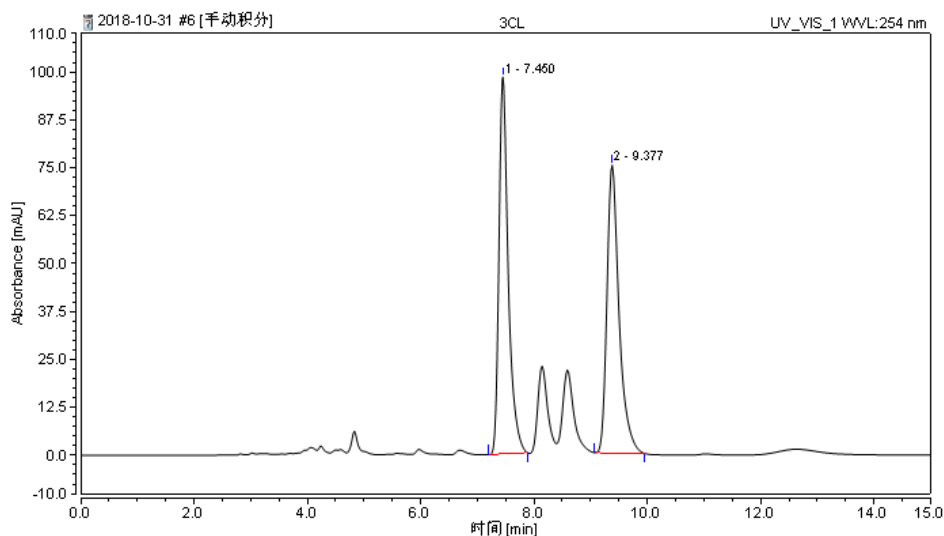
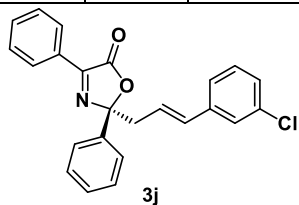
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.453	52.315	238.139	49.91	60.41	n.a.
2		12.290	52.513	156.048	50.09	39.59	n.a.



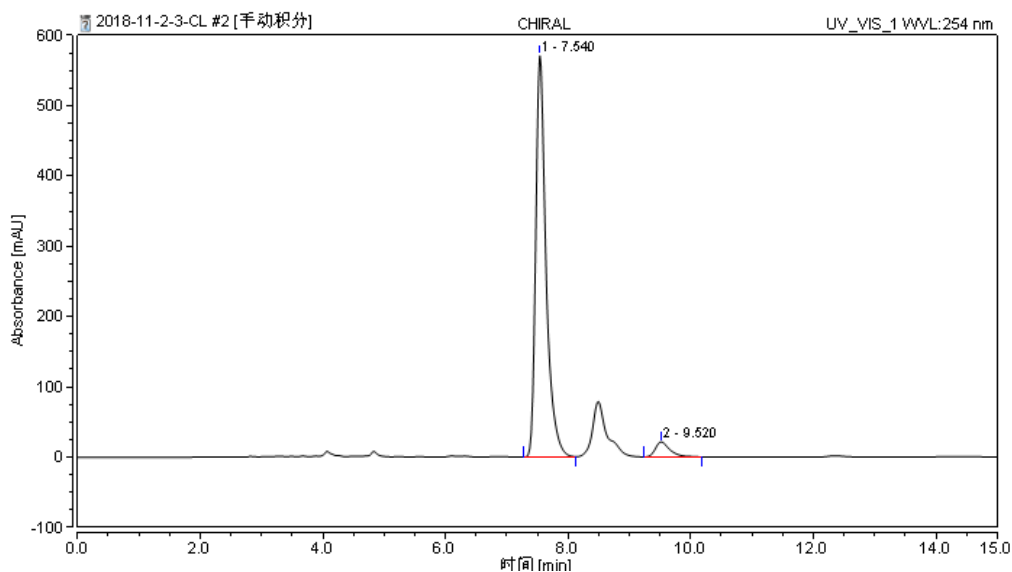
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.483	99.521	450.987	96.93	97.78	n.a.
2		12.340	3.150	10.257	3.07	2.22	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



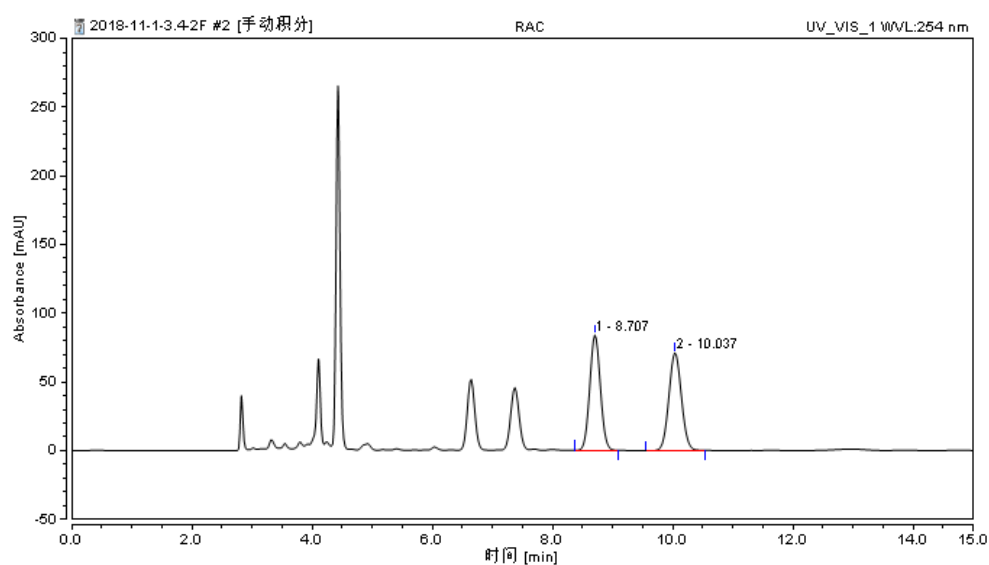
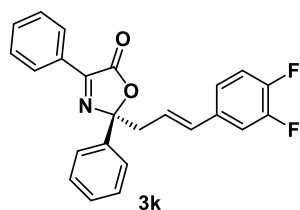
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.450	18.630	98.352	50.10	56.68	n.a.
2		9.377	18.552	75.166	49.90	43.32	n.a.



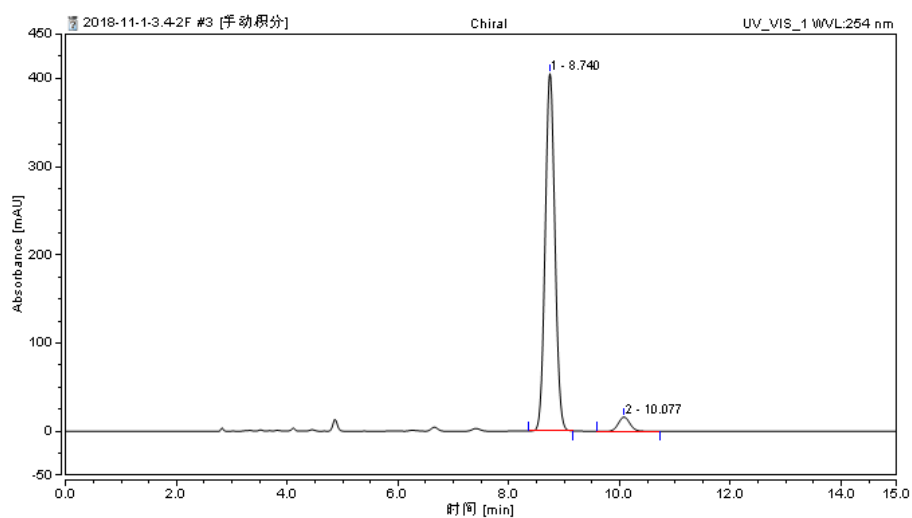
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.540	110.765	570.503	94.97	96.37	n.a.
2		9.520	5.863	21.488	5.03	3.63	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume



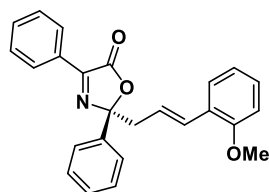
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量
1		8.707	17.199	84.028	50.09	54.14	n.a.
2		10.037	17.138	71.171	49.91	45.86	n.a.



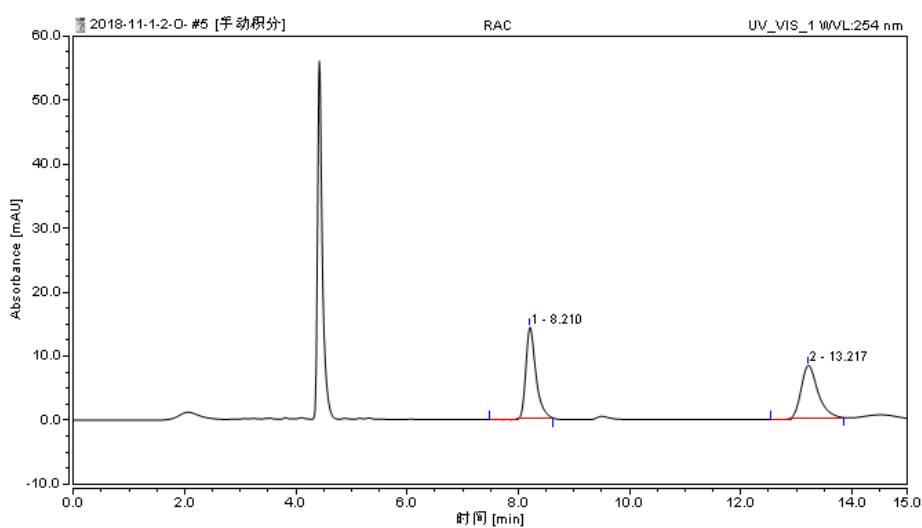
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量
1		8.740	82.236	405.026	95.42	96.15	n.a.
2		10.077	3.943	16.199	4.58	3.85	n.a.

For comparison of Chinese and English of the HPLC data table.

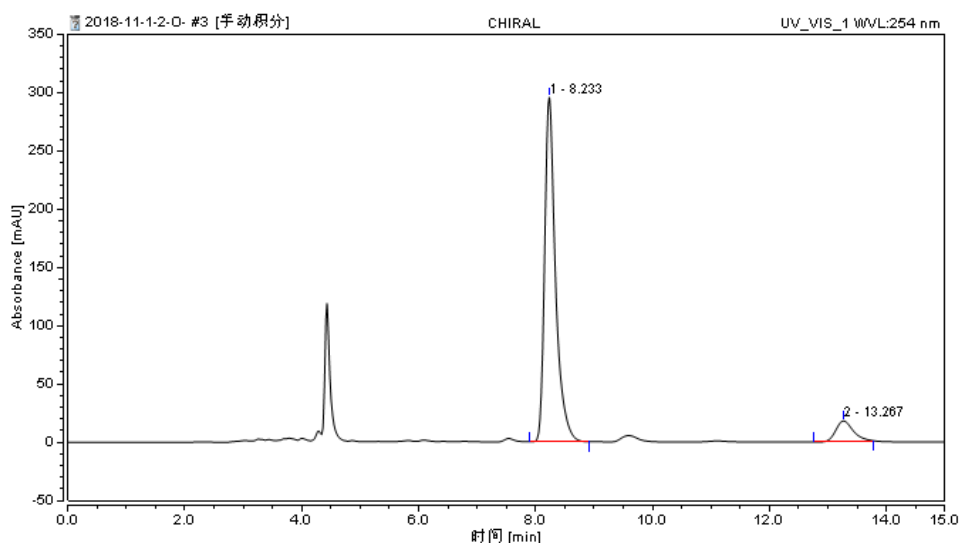
序号	峰名 称	保留时间 (min)	峰面 积 (mAU*min)	峰高 (mAU)	相对峰面 积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3I



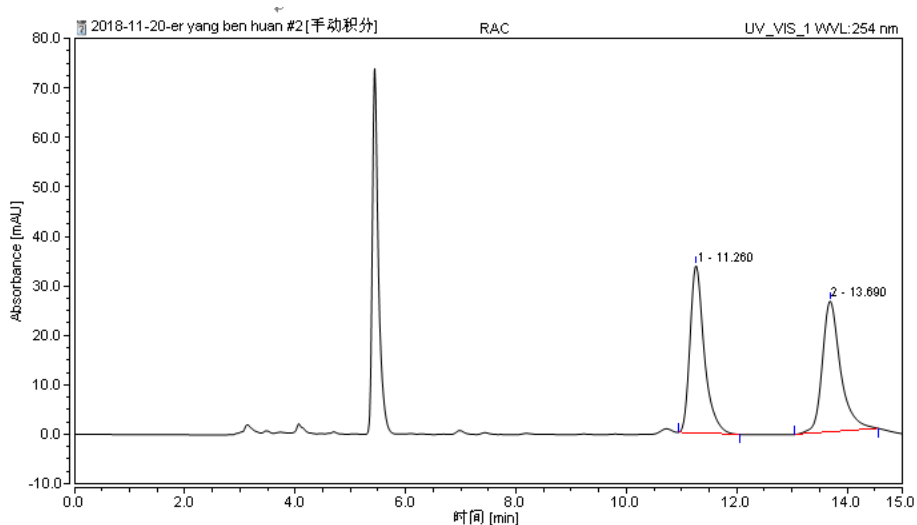
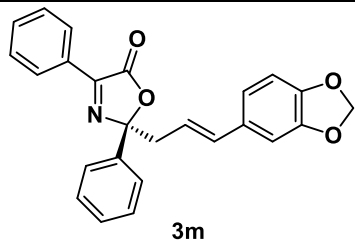
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.210	2.948	14.309	50.48	63.29	n.a.
2		13.217	2.892	8.301	49.52	36.71	n.a.



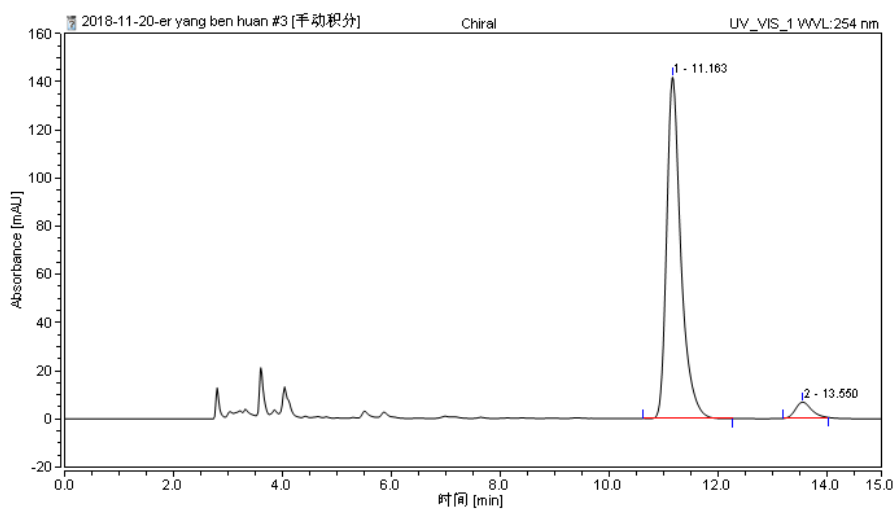
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.233	63.542	295.545	91.35	94.36	n.a.
2		13.267	6.019	17.671	8.65	5.64	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名 称	保留时间 (min)	峰面 积 (mAU*min)	峰高 (mAU)	相对峰面 积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



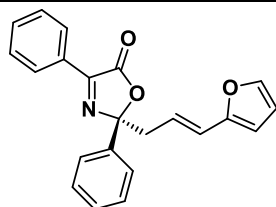
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		11.260	10.138	33.882	49.96	56.15	n.a.
2		13.690	10.153	26.464	50.04	43.85	n.a.



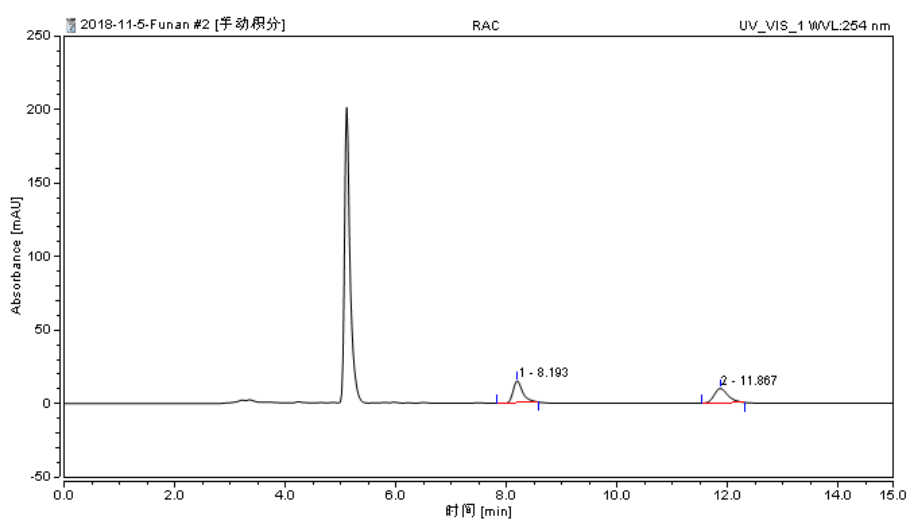
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		11.163	42.860	141.713	95.00	95.53	n.a.
2		13.550	2.258	6.636	5.00	4.47	n.a.

For comparison of Chinese and English of the HPLC data table.

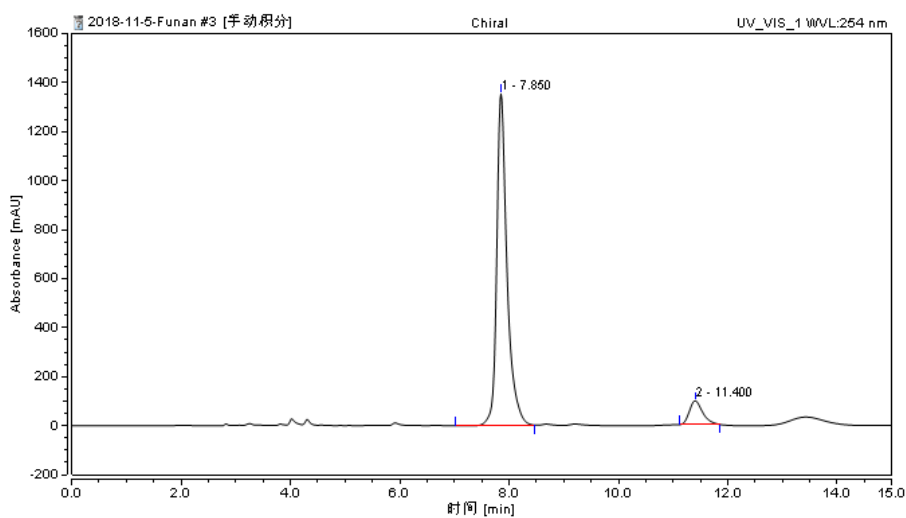
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3n



序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.193	2.910	14.804	50.61	60.10	n.a.
2		11.867	2.840	9.827	49.39	39.90	n.a.

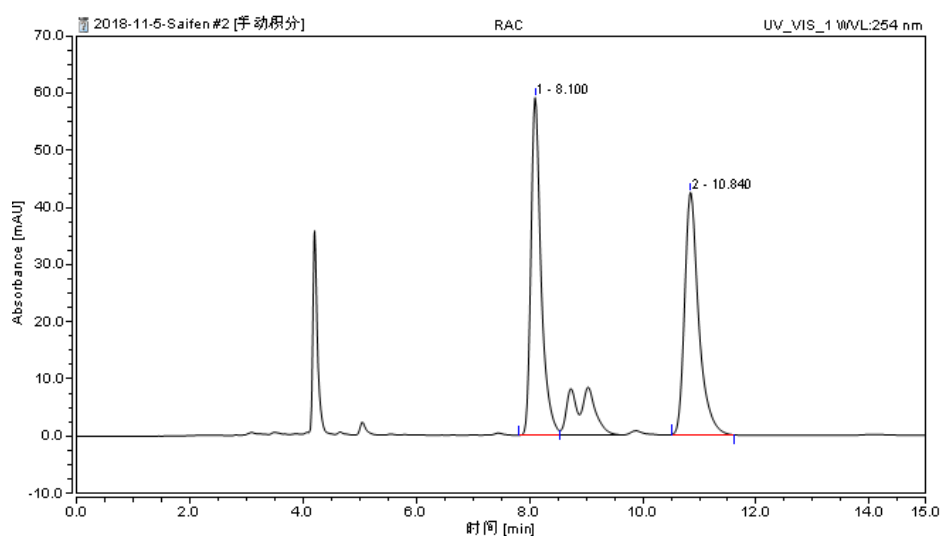
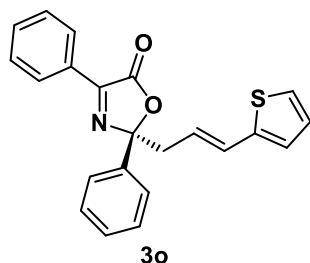


序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.850	293.968	1352.735	91.62	93.35	n.a.
2		11.400	26.901	96.411	8.38	6.65	n.a.

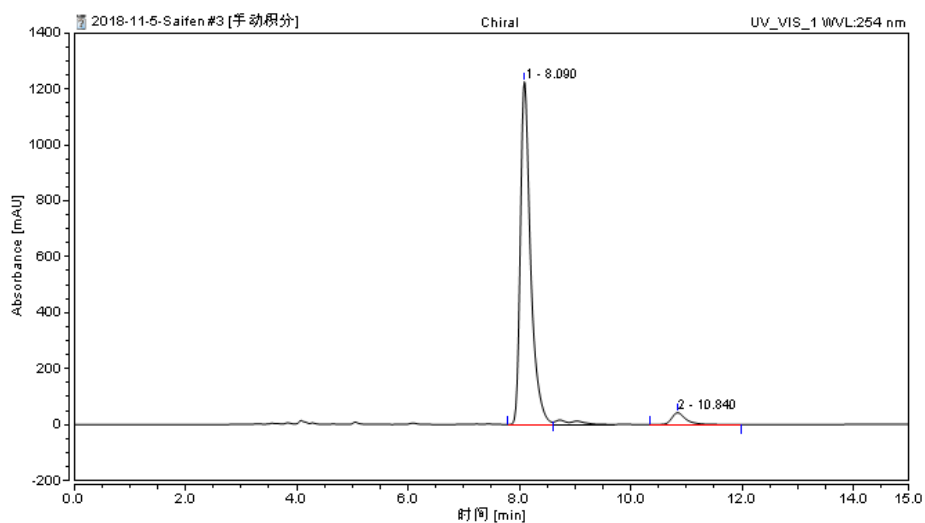


For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



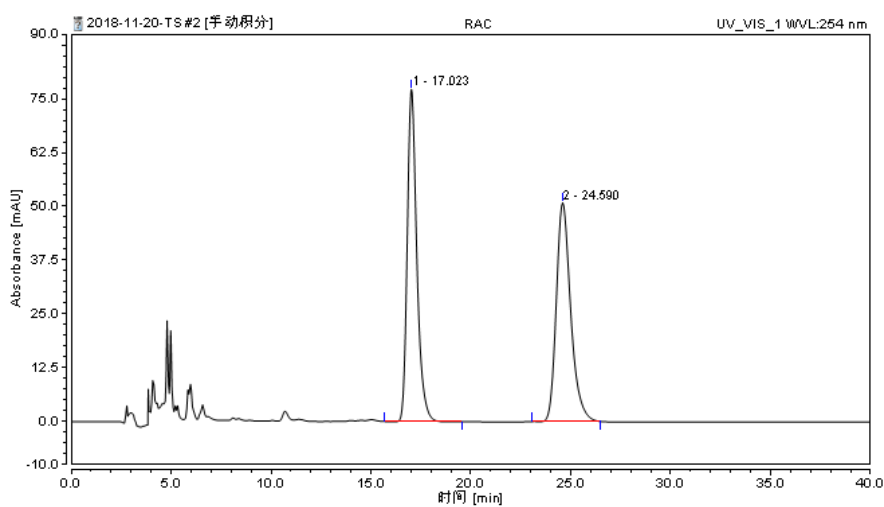
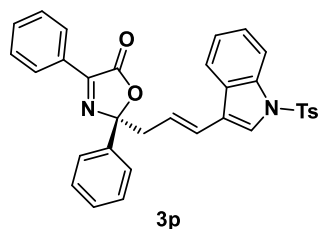
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.100	12.220	59.040	50.08	58.21	n.a.
2		10.840	12.184	42.383	49.92	41.79	n.a.



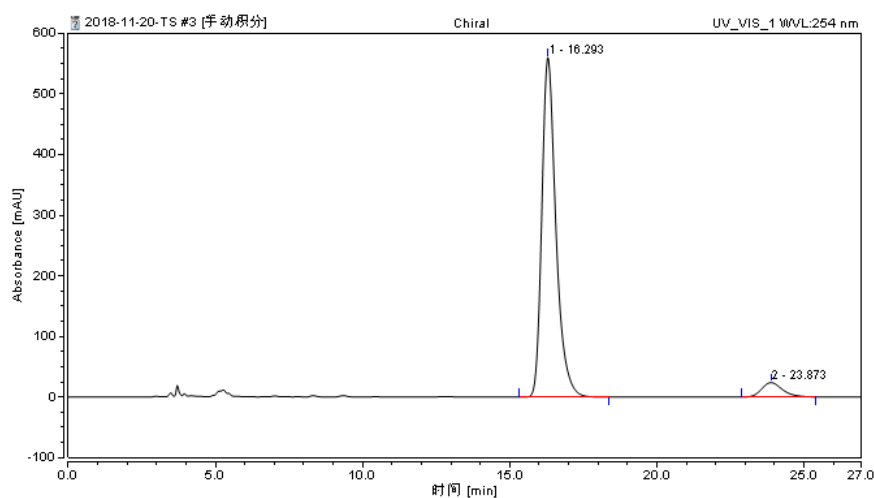
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.090	261.334	1225.964	95.48	96.65	n.a.
2		10.840	12.376	42.434	4.52	3.35	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



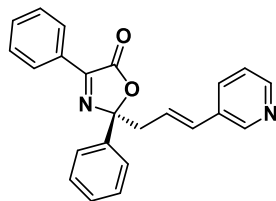
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		17.023	42.688	77.196	50.19	60.29	n.a.
2		24.590	42.372	50.845	49.81	39.71	n.a.



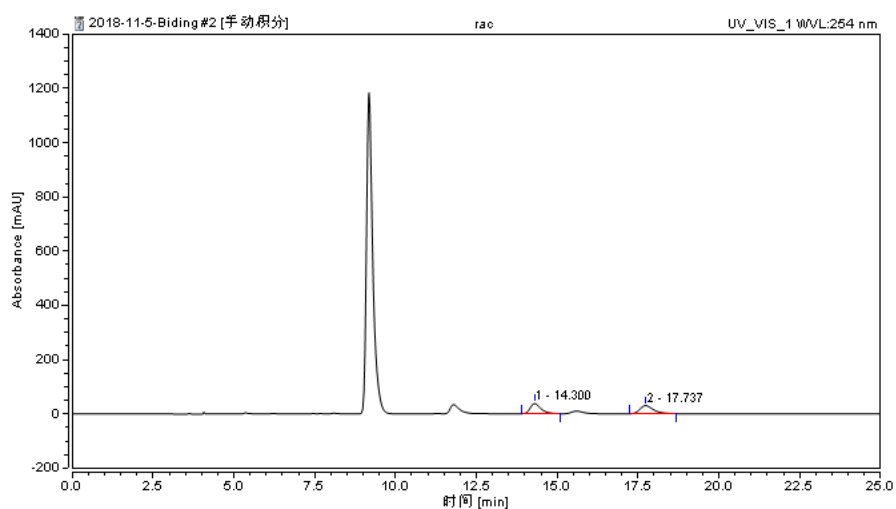
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		16.293	310.932	560.272	94.03	95.91	n.a.
2		23.873	19.724	23.907	5.97	4.09	n.a.

For comparison of Chinese and English of the HPLC data table.

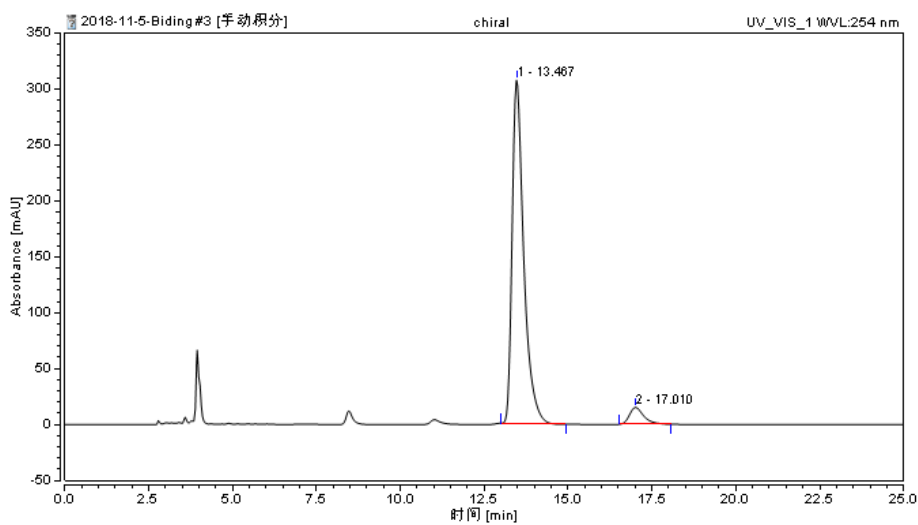
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



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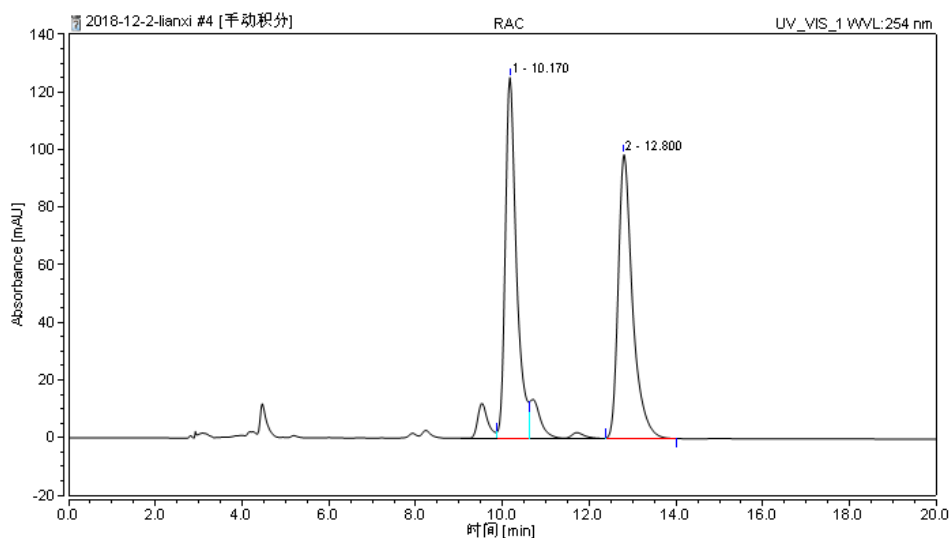
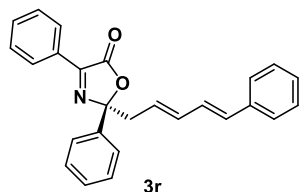
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		14.300	14.517	37.565	49.56	55.53	n.a.
2		17.737	14.772	30.083	50.44	44.47	n.a.



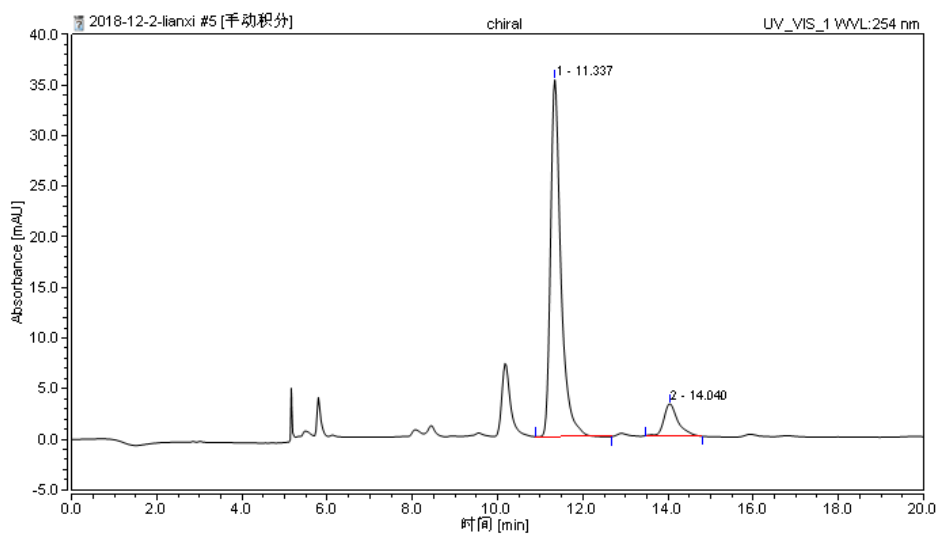
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		13.467	122.953	307.049	94.26	95.33	n.a.
2		17.010	7.484	15.058	5.74	4.67	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



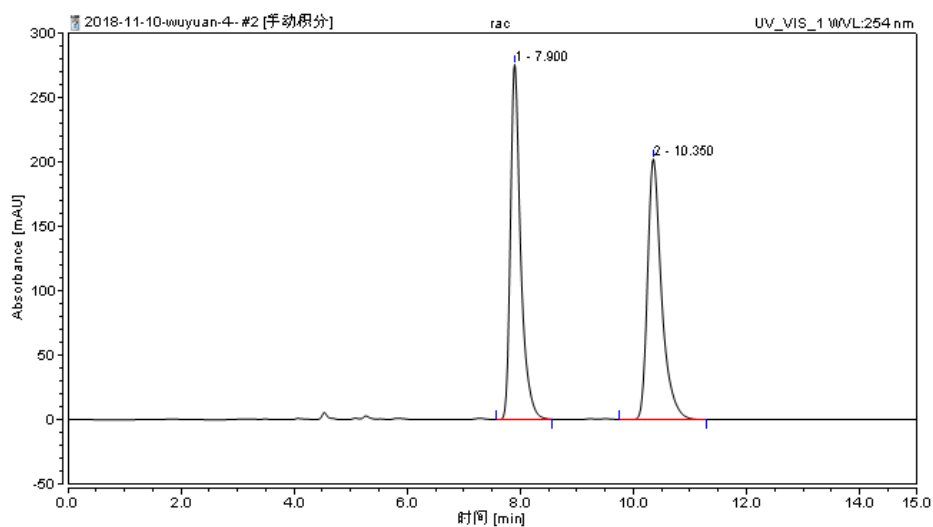
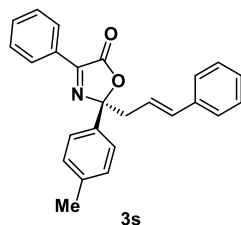
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		10.170	37.416	125.244	49.80	55.96	n.a.
2		12.800	37.721	98.551	50.20	44.04	n.a.



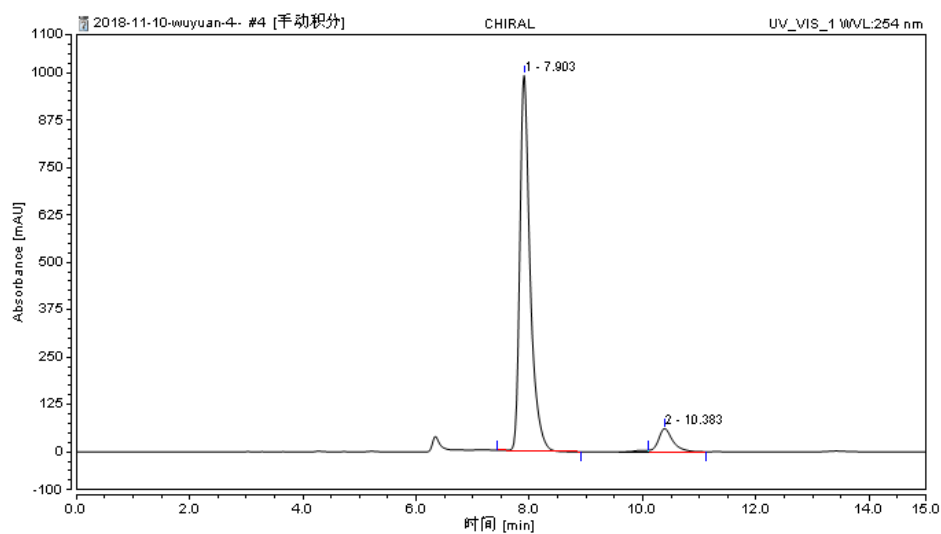
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		11.337	9.904	35.247	89.02	91.72	n.a.
2		14.040	1.222	3.181	10.98	8.28	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



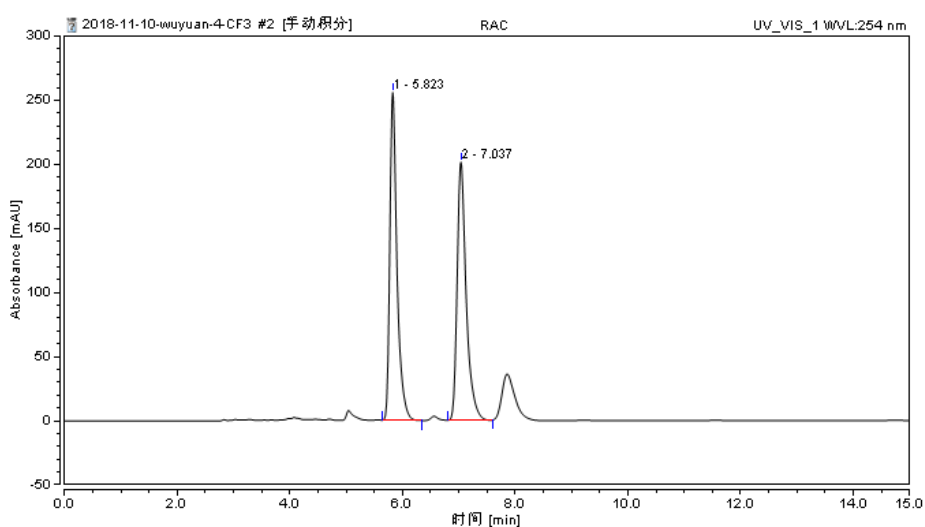
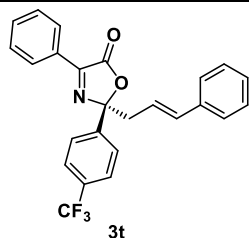
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.900	57.604	275.952	49.86	57.68	n.a.
2		10.350	57.937	202.460	50.14	42.32	n.a.



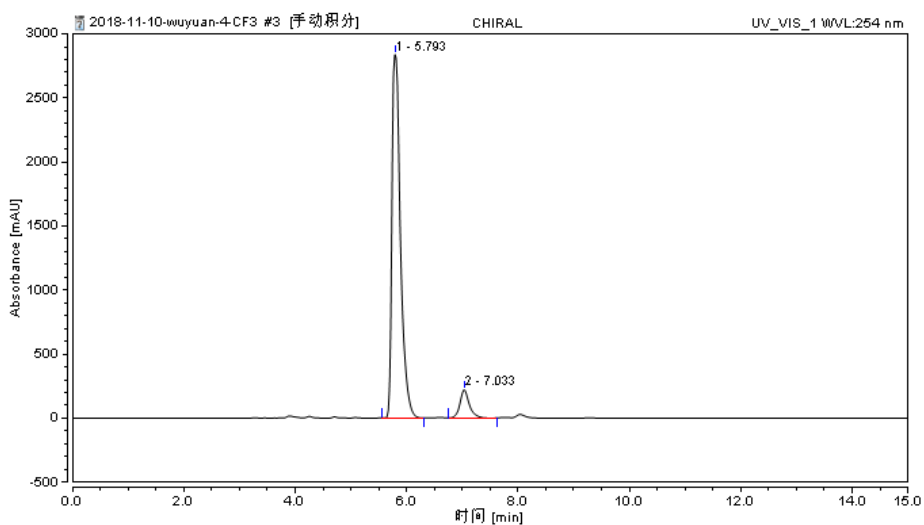
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.903	206.944	990.213	92.03	94.17	n.a.
2		10.383	17.928	61.302	7.97	5.83	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名 称	保留时间 (min)	峰面 积 (mAU*min)	峰高 (mAU)	相对峰面 积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



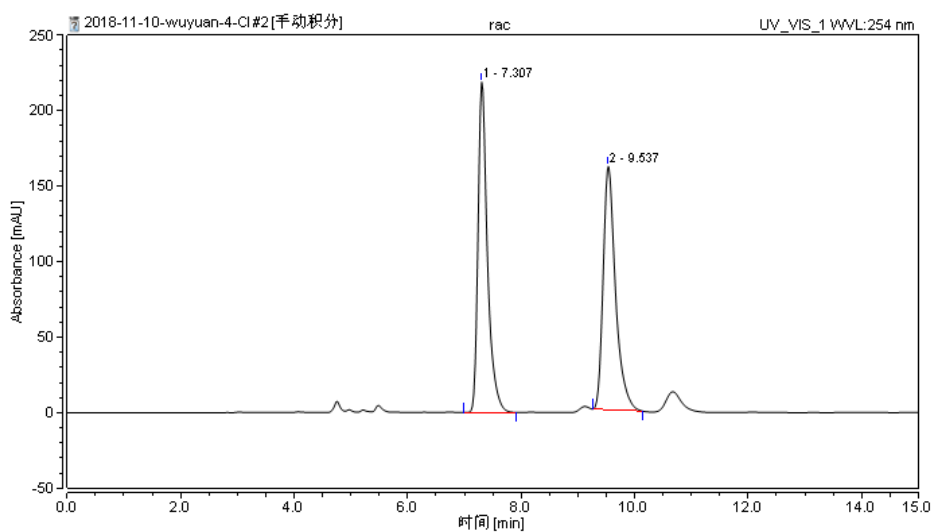
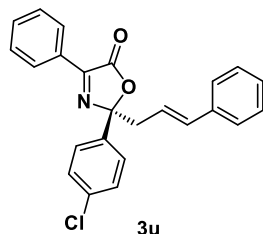
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.823	37.610	255.678	50.26	55.95	n.a.
2		7.037	37.220	201.317	49.74	44.05	n.a.



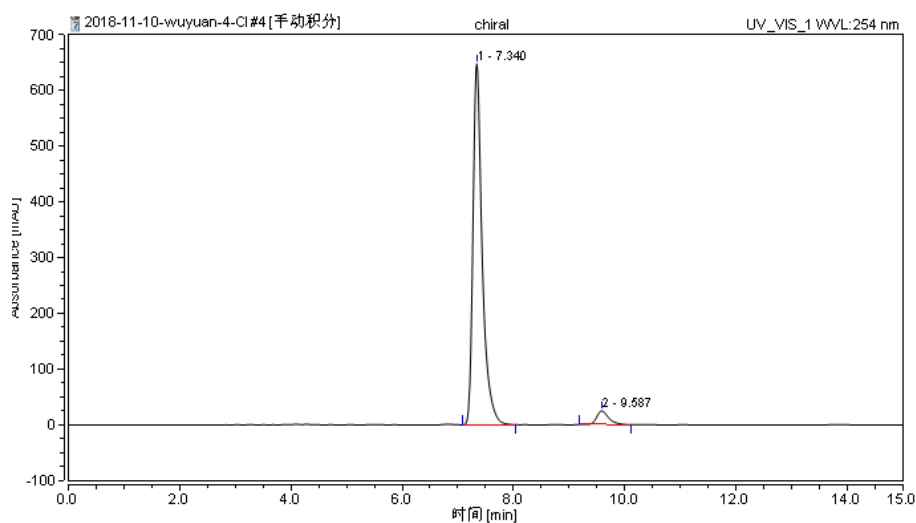
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.793	500.884	2837.454	92.24	92.81	n.a.
2		7.033	42.166	219.972	7.76	7.19	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



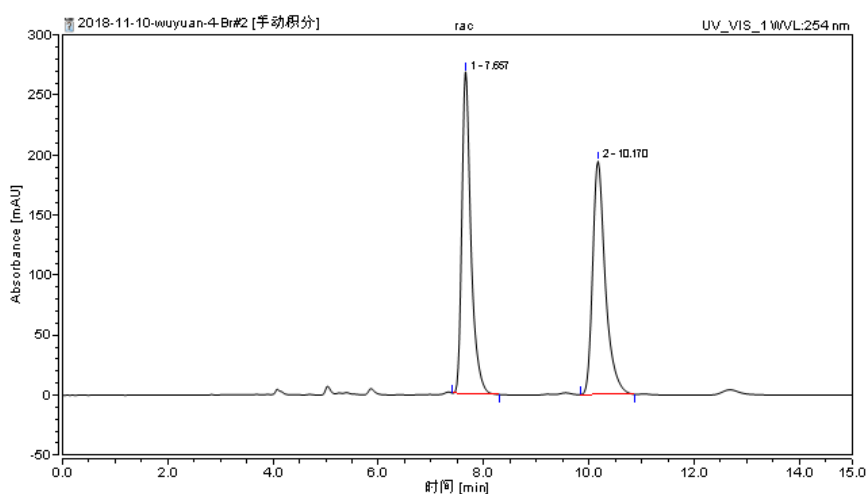
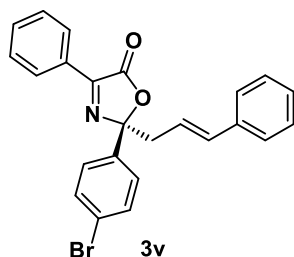
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.307	41.953	218.791	50.75	57.58	n.a.
2		9.537	40.709	161.194	49.25	42.42	n.a.



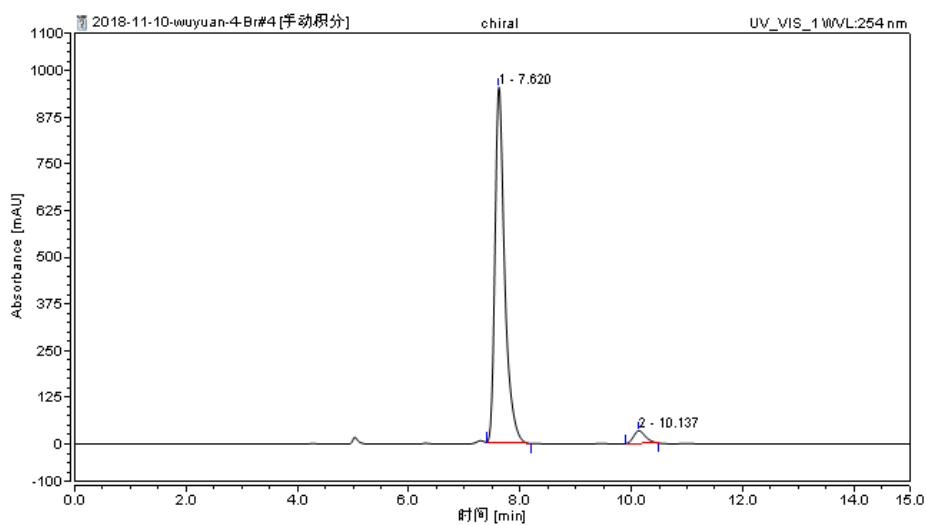
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.340	124.416	647.652	95.43	96.37	n.a.
2		9.587	5.953	24.384	4.57	3.63	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.657	53.517	267.936	49.72	57.92	n.a.
2		10.170	54.128	194.634	50.28	42.08	n.a.

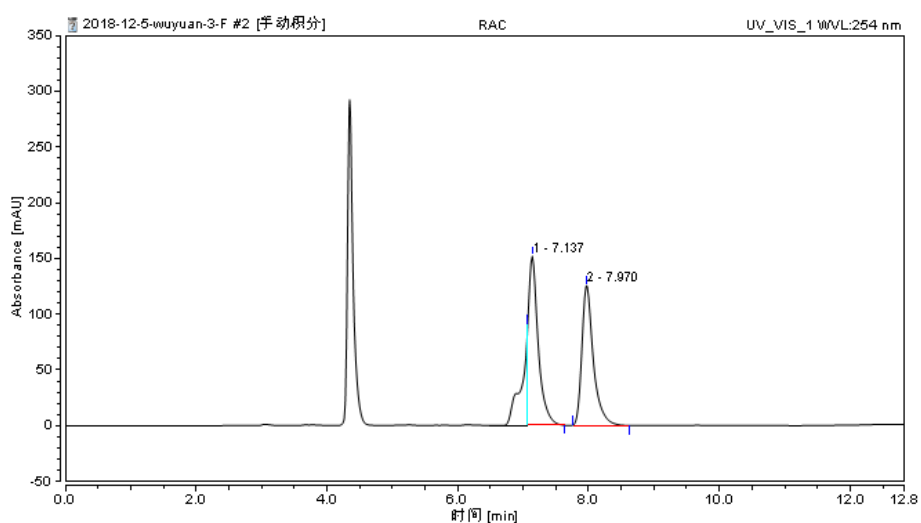
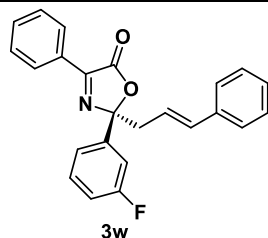


序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.620	191.287	952.523	95.68	96.52	n.a.
2		10.137	8.633	34.375	4.32	3.48	n.a.

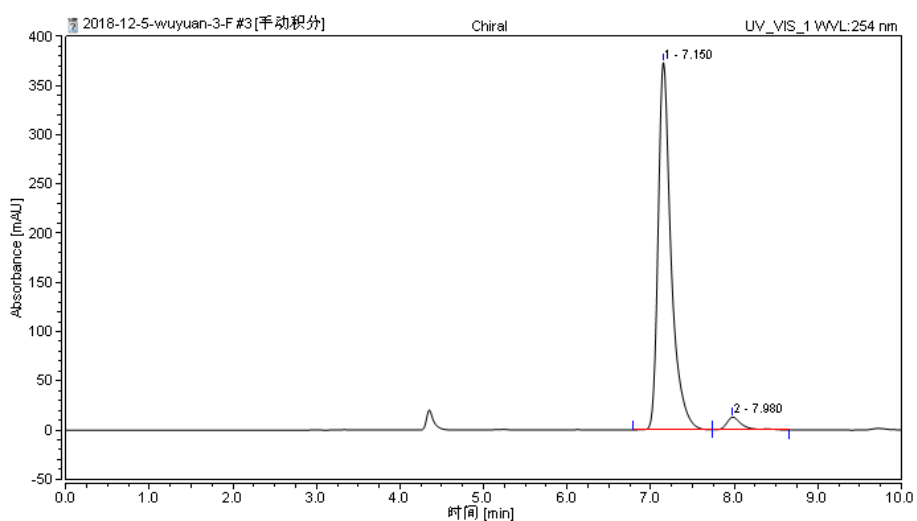


For comparison of Chinese and English of the HPLC data table.

序号	峰名 称	保留时间 (min)	峰面 积 (mAU*min)	峰高 (mAU)	相对峰面 积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



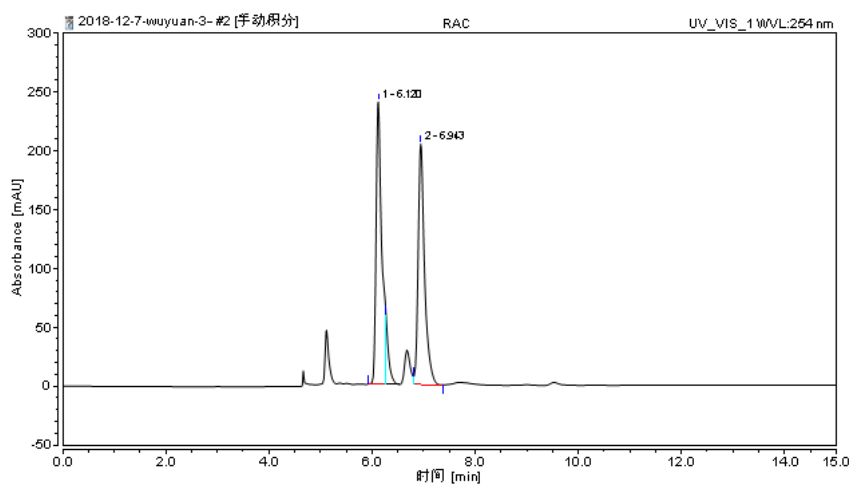
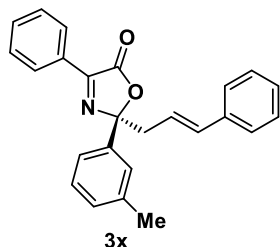
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.137	25.909	152.089	50.28	54.77	n.a.
2		7.970	25.616	125.619	49.72	45.23	n.a.



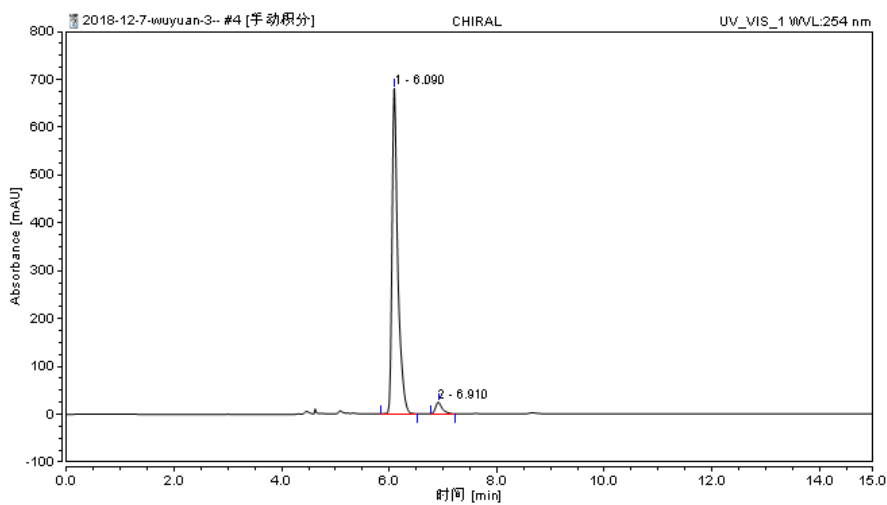
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.150	67.089	373.091	95.97	96.63	n.a.
2		7.980	2.820	13.031	4.03	3.37	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



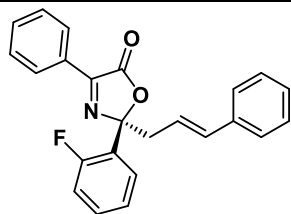
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.120	30.151	239.436	50.72	53.94	n.a.
2		6.943	29.298	204.421	49.28	46.06	n.a.



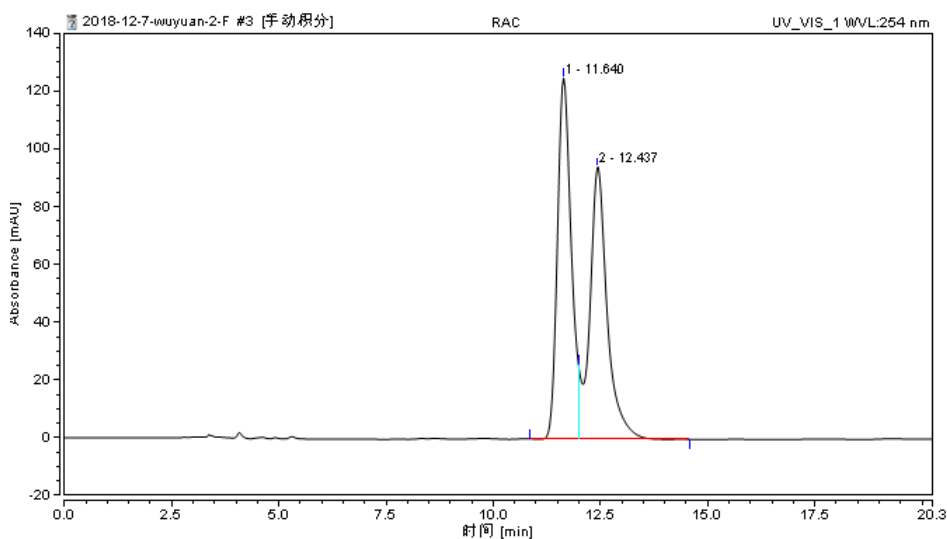
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.090	84.599	680.483	96.03	96.48	n.a.
2		6.910	3.500	24.823	3.97	3.52	n.a.

For comparison of Chinese and English of the HPLC data table.

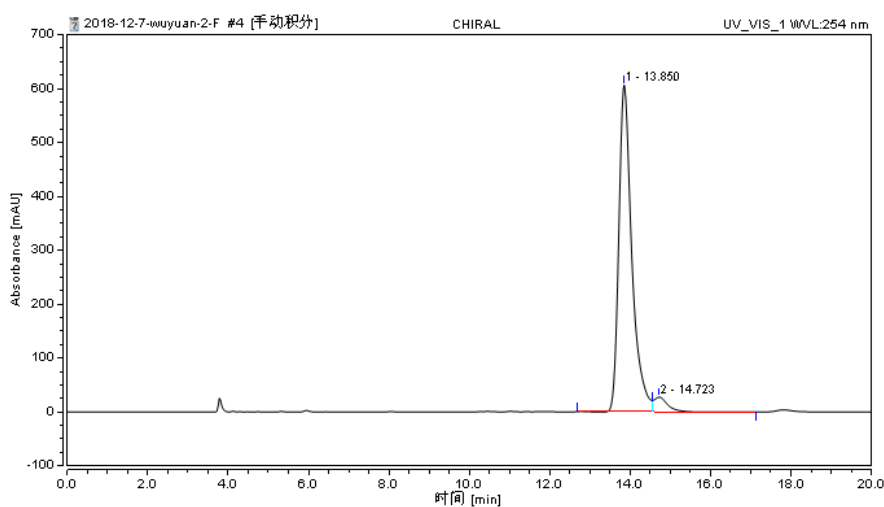
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



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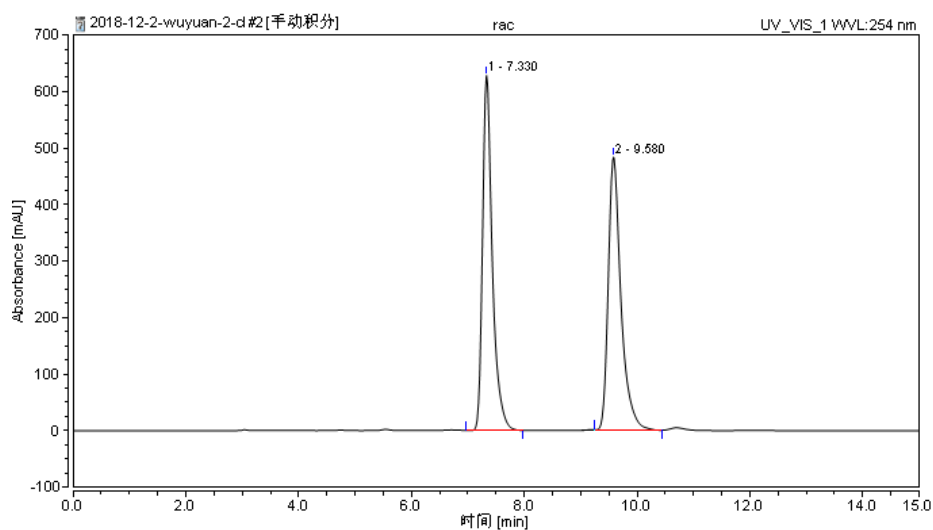
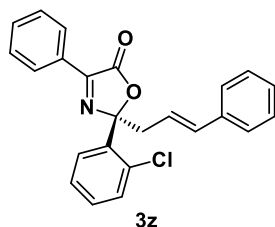
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		11.640	45.092	125.014	49.80	56.99	n.a.
2		12.437	45.452	94.357	50.20	43.01	n.a.



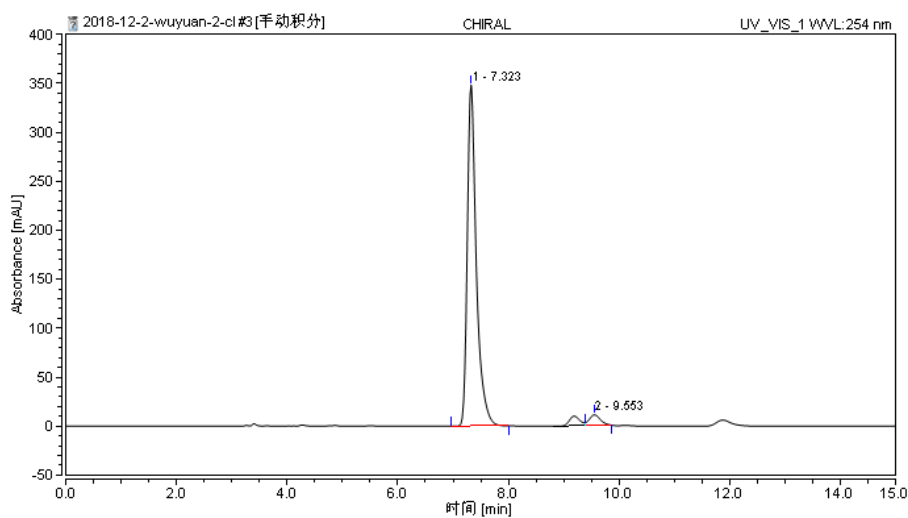
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		13.850	227.006	606.502	95.61	95.71	n.a.
2		14.723	10.412	27.211	4.39	4.29	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



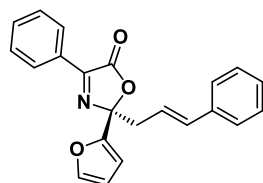
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.330	126.031	628.481	49.96	56.50	n.a.
2		9.580	126.239	483.966	50.04	43.50	n.a.



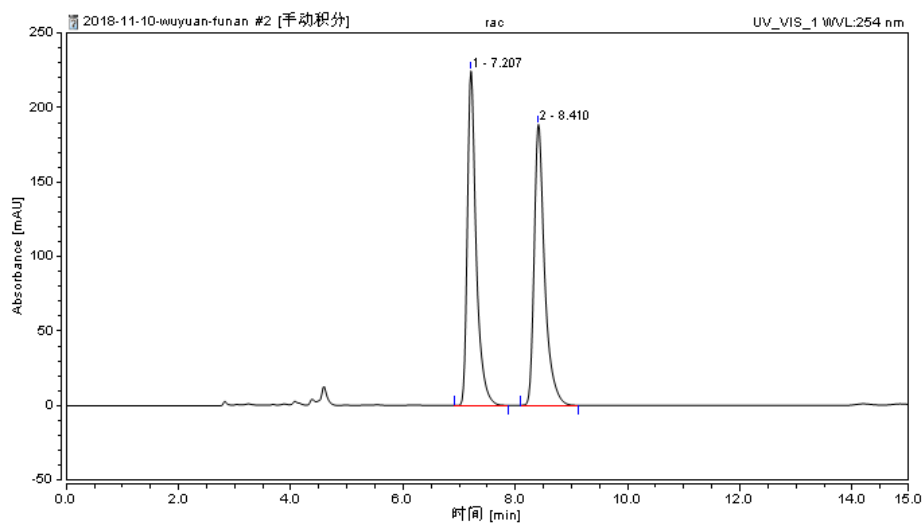
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.323	65.793	348.274	96.18	96.94	n.a.
2		9.553	2.612	10.998	3.82	3.06	n.a.

For comparison of Chinese and English of the HPLC data table.

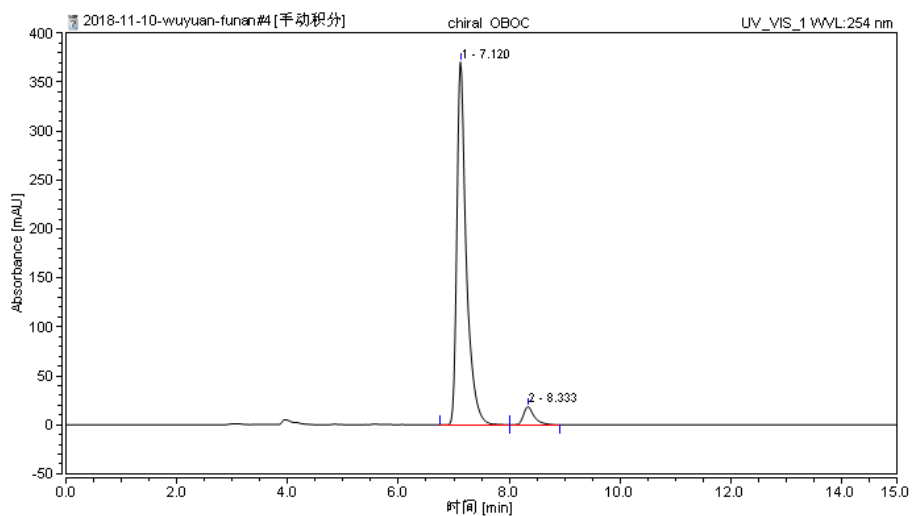
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3a'



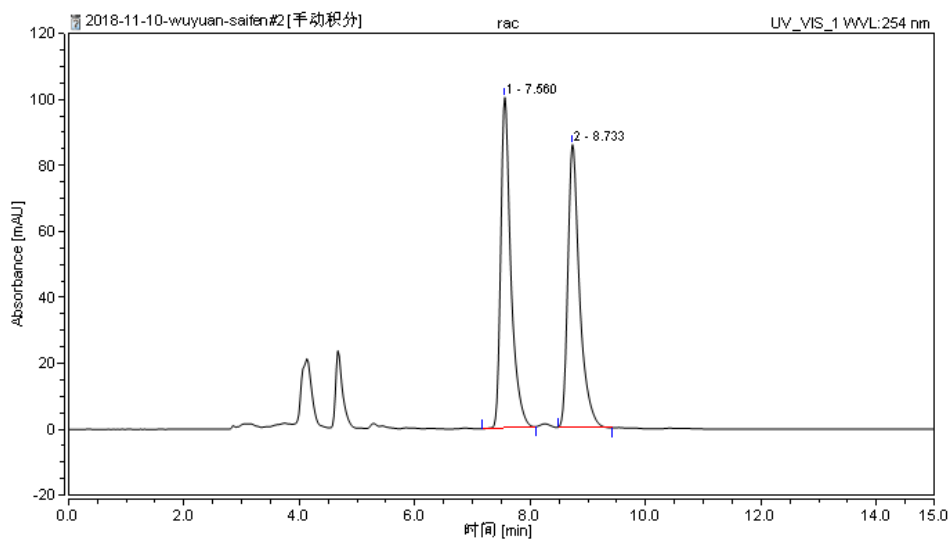
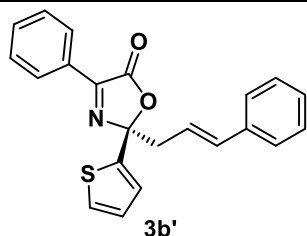
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.207	40.871	224.566	50.04	54.35	n.a.
2		8.410	40.811	188.633	49.96	45.65	n.a.



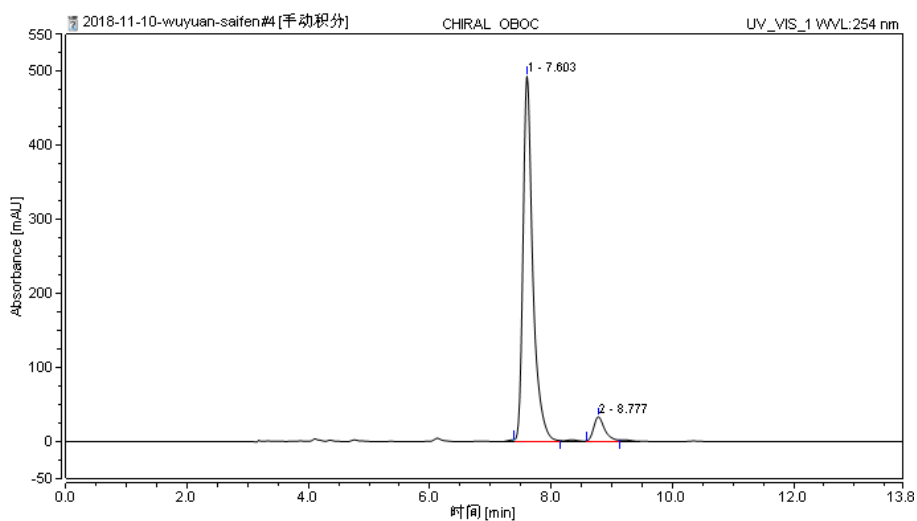
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.120	73.004	370.577	94.63	95.26	n.a.
2		8.333	4.140	18.430	5.37	4.74	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



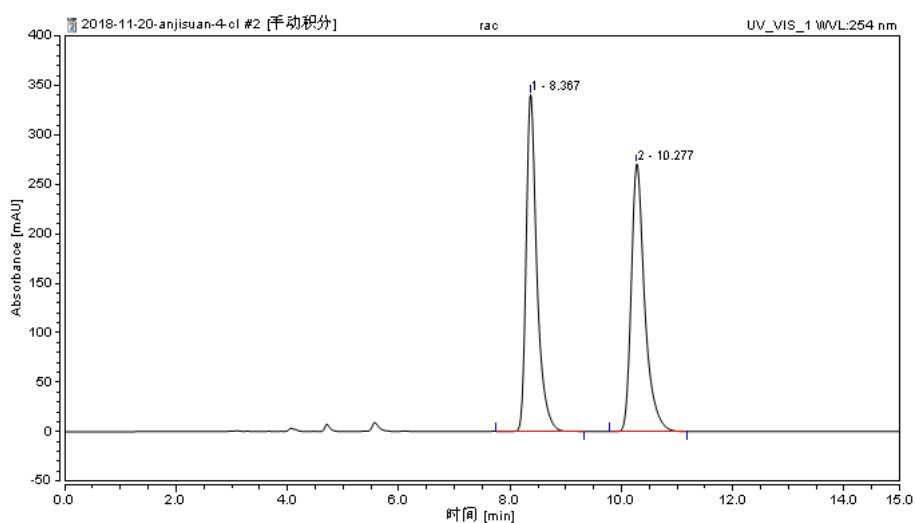
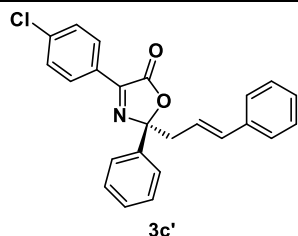
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.560	20.341	100.254	50.23	53.88	n.a.
2		8.733	20.156	85.803	49.77	46.12	n.a.



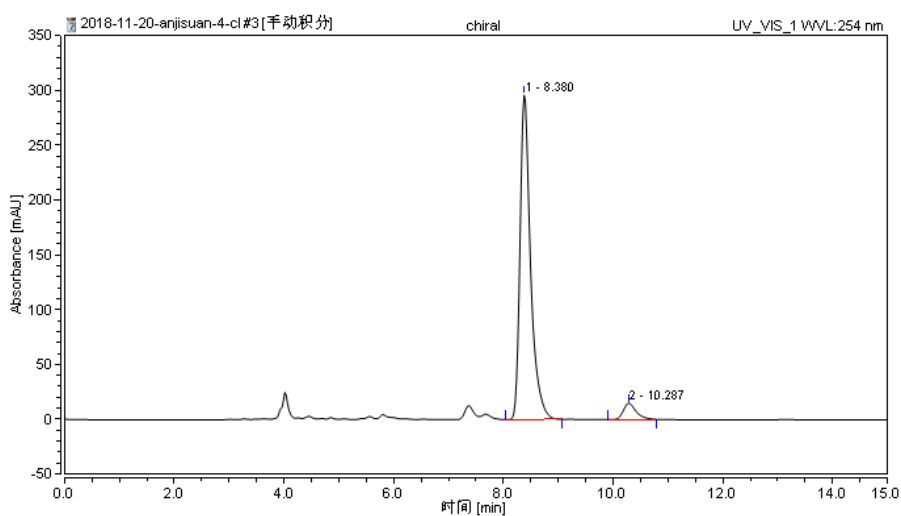
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.603	92.363	493.365	92.80	93.69	n.a.
2		8.777	7.168	33.241	7.20	6.31	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



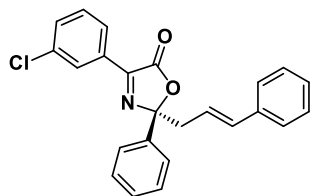
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.367	75.850	340.789	50.05	55.73	n.a.
2		10.277	75.700	270.706	49.95	44.27	n.a.



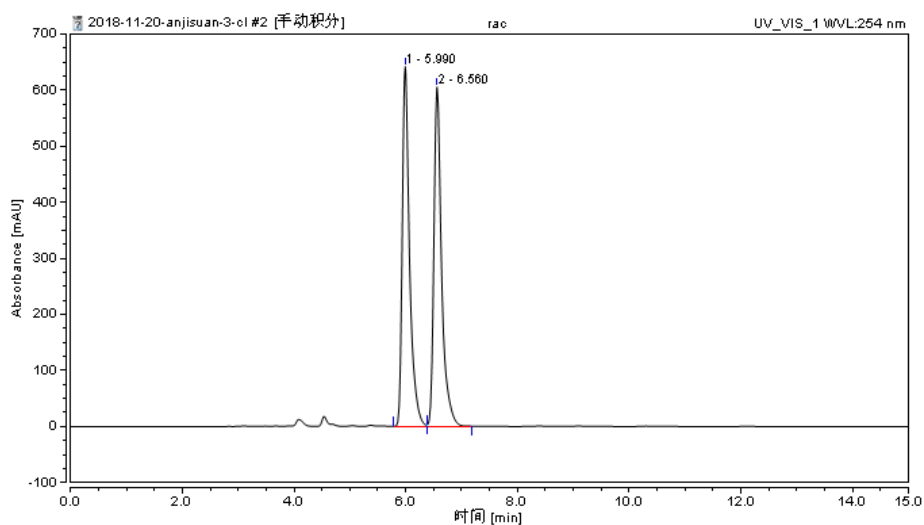
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.380	65.591	295.898	94.23	95.21	n.a.
2		10.287	4.020	14.887	5.77	4.79	n.a.

For comparison of Chinese and English of the HPLC data table.

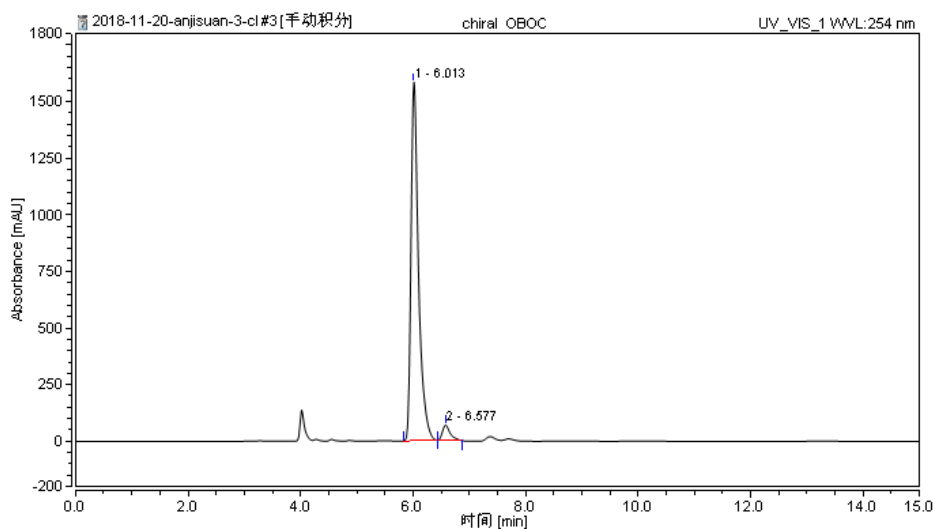
序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



3d'



序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.990	96.373	641.873	49.98	51.47	n.a.
2		6.560	96.455	605.330	50.02	48.53	n.a.

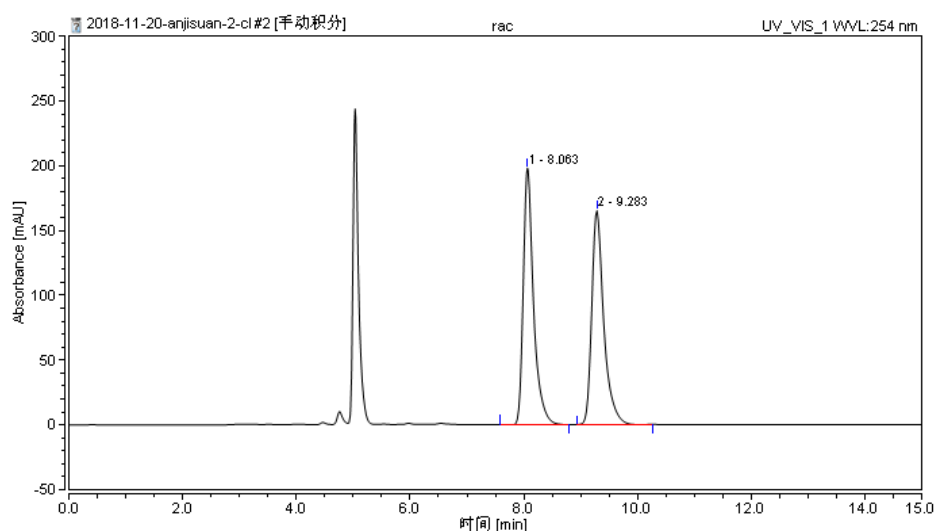
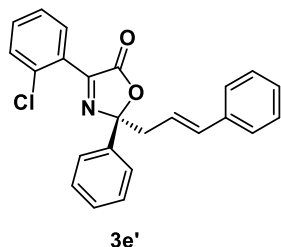


序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.013	244.246	1584.776	95.79	95.89	n.a.
2		6.577	10.741	67.904	4.21	4.11	n.a.

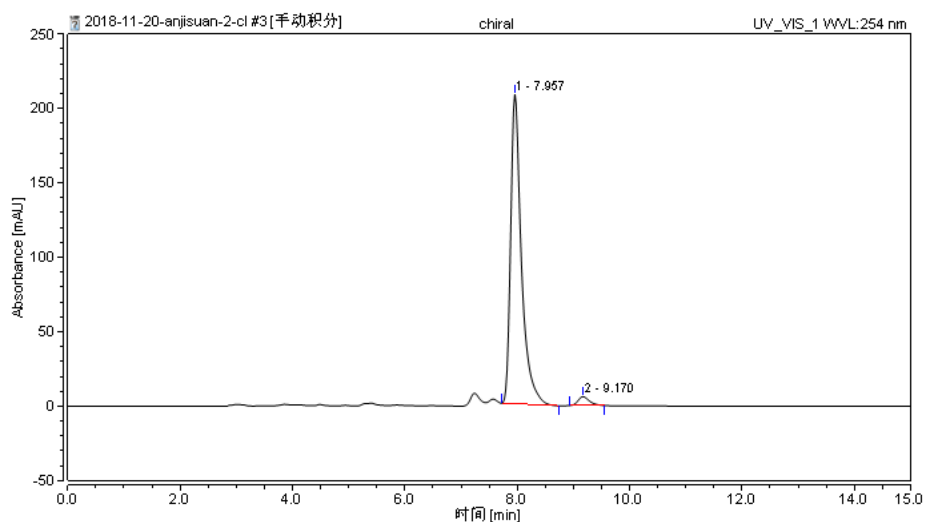


For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



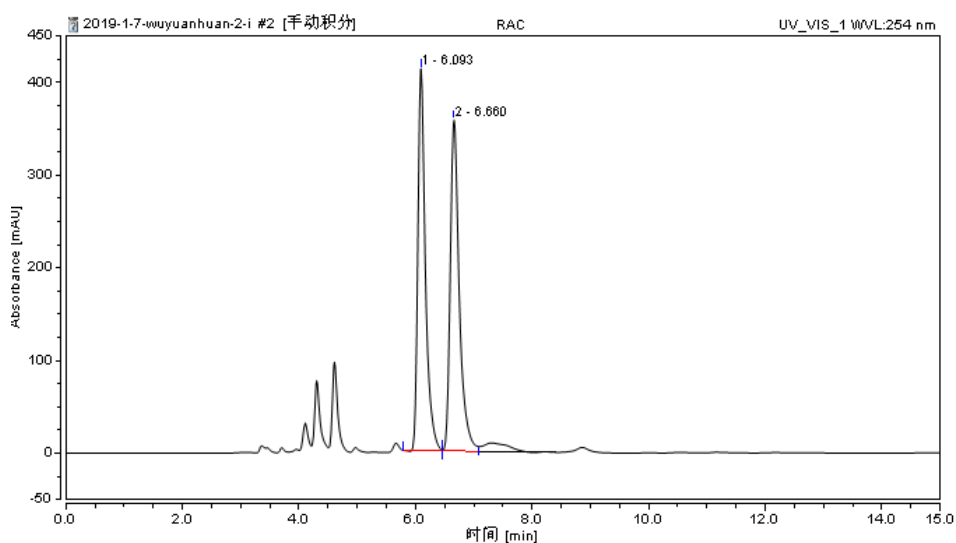
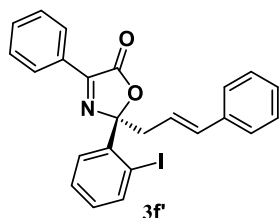
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.063	41.324	198.143	50.63	54.51	n.a.
2		9.283	40.289	165.379	49.37	45.49	n.a.



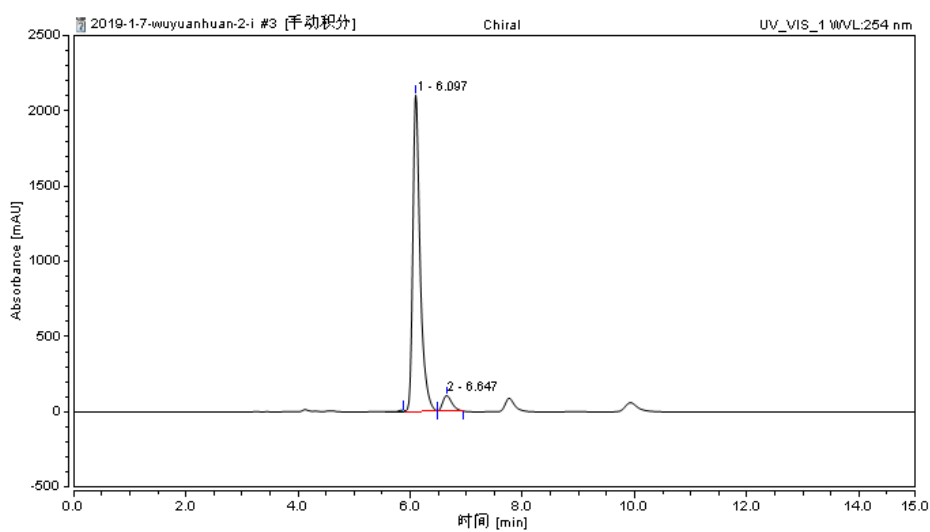
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.957	46.057	207.804	97.11	97.22	n.a.
2		9.170	1.373	5.948	2.89	2.78	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名 称	保留时间 (min)	峰面 积 (mAU*min)	峰高 (mAU)	相对峰面 积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



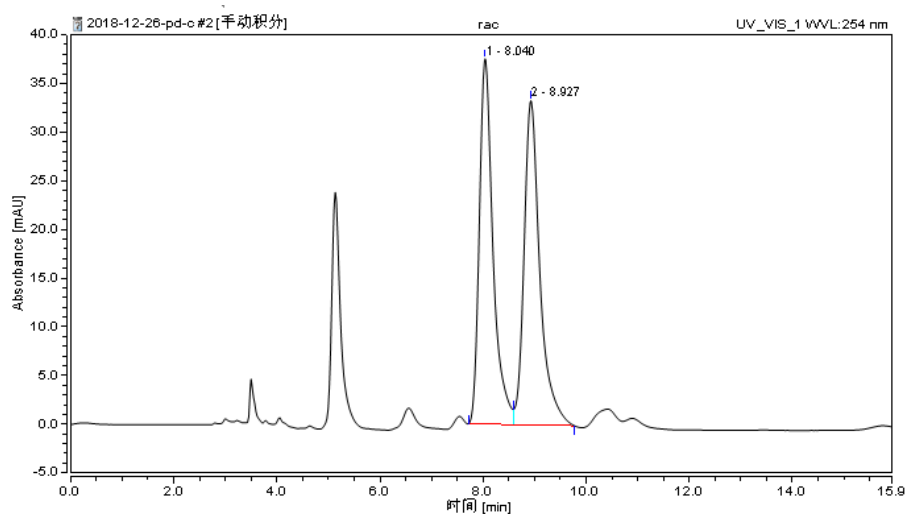
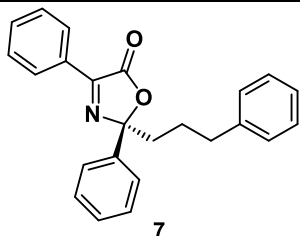
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.093	61.688	412.148	49.11	53.57	n.a.
2		6.660	63.922	357.246	50.89	46.43	n.a.



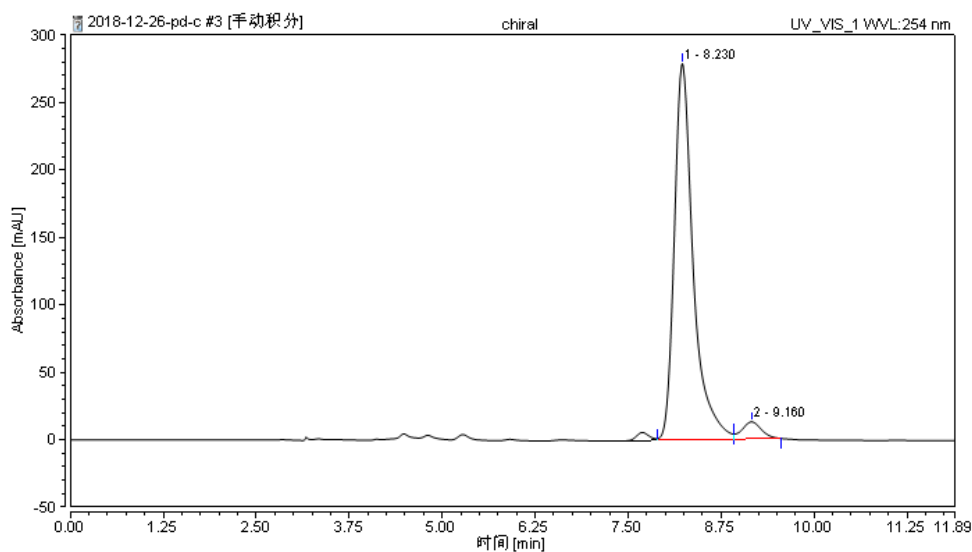
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		6.097	317.711	2104.474	94.00	95.27	n.a.
2		6.647	20.269	104.547	6.00	4.73	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



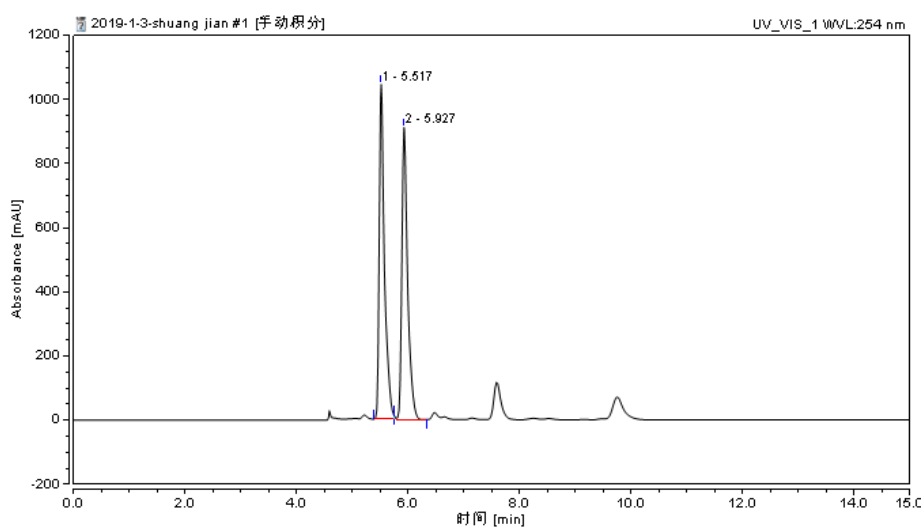
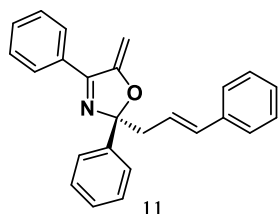
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.040	11.530	37.562	49.25	52.98	n.a.
2		8.927	11.880	33.340	50.75	47.02	n.a.



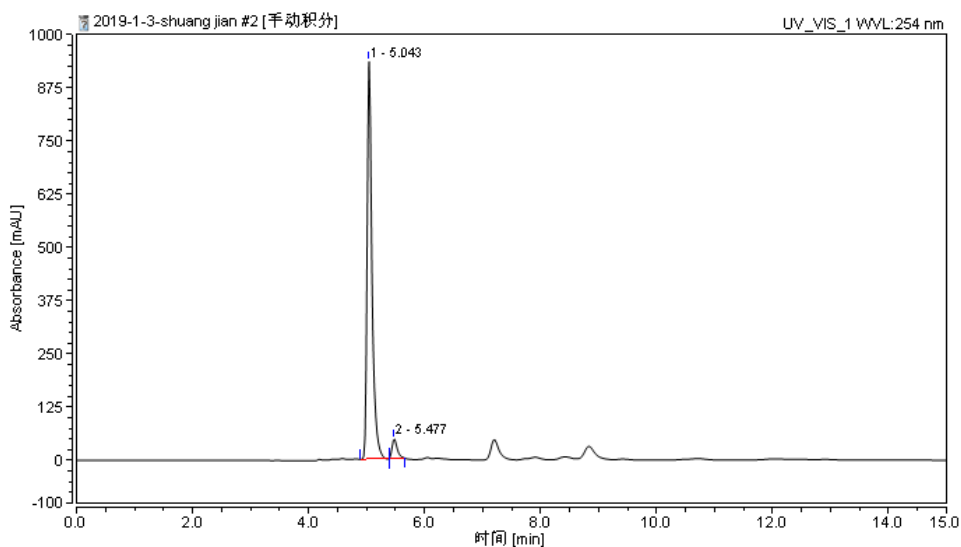
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.230	82.298	279.191	95.53	95.65	n.a.
2		9.160	3.847	12.700	4.47	4.35	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



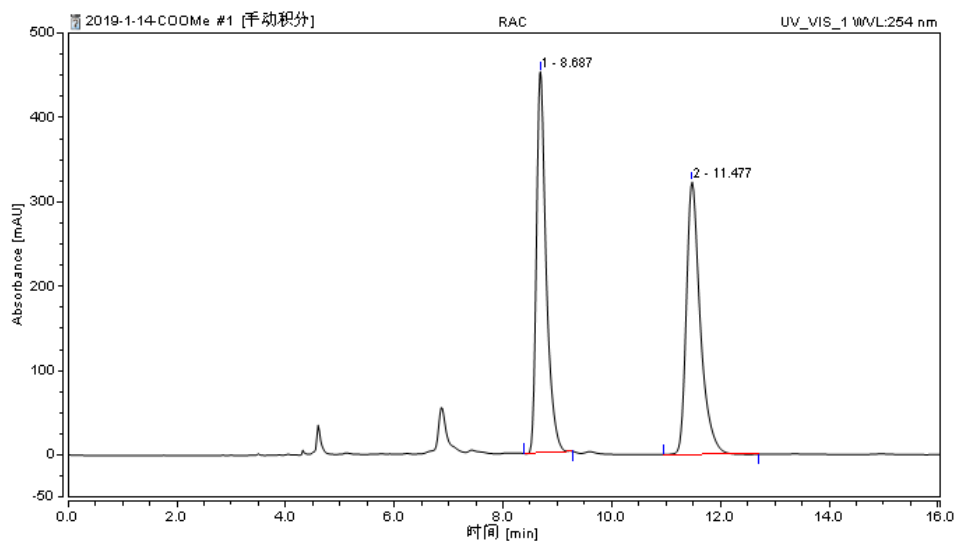
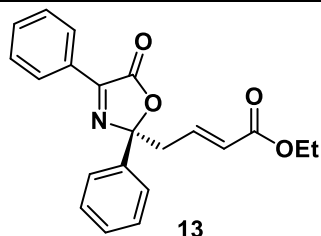
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.517	113.409	1043.819	50.99	53.41	n.a.
2		5.927	109.024	910.432	49.01	46.59	n.a.



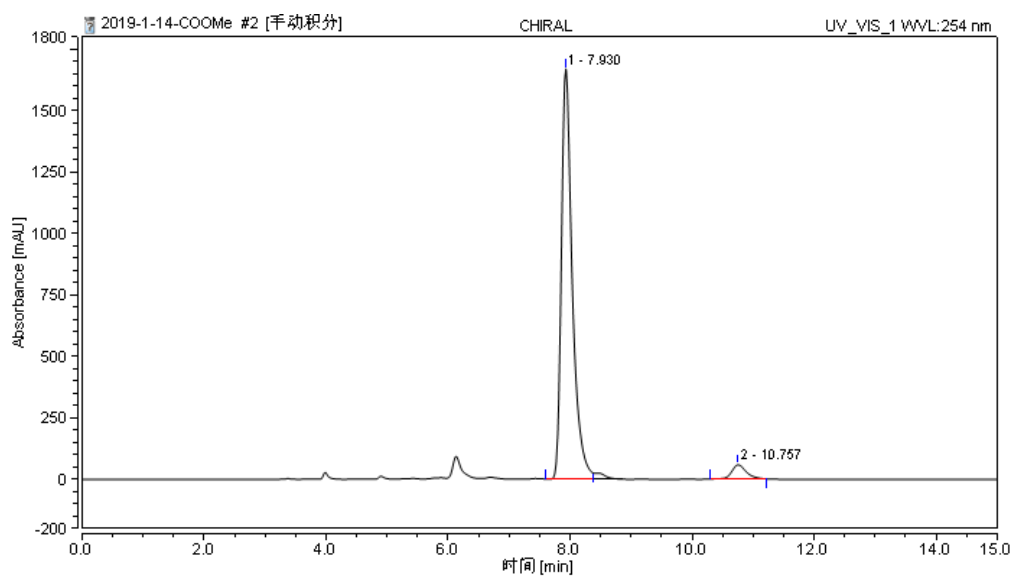
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		5.043	90.726	933.068	95.10	95.31	n.a.
2		5.477	4.670	45.927	4.90	4.69	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



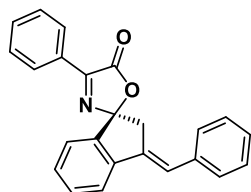
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		8.687	93.355	452.079	49.40	58.30	n.a.
2		11.477	95.614	323.395	50.60	41.70	n.a.



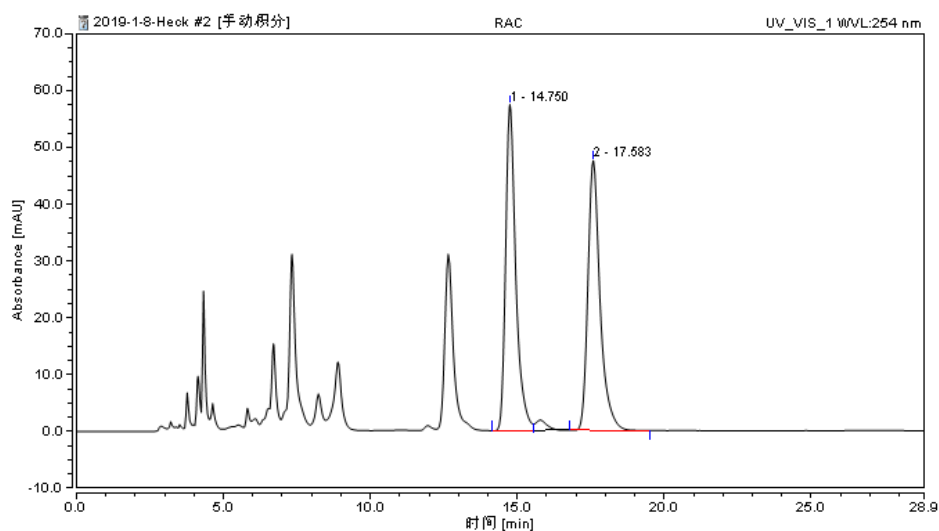
序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.930	344.884	1670.097	95.53	96.64	n.a.
2		10.757	16.141	58.061	4.47	3.36	n.a.

For comparison of Chinese and English of the HPLC data table.

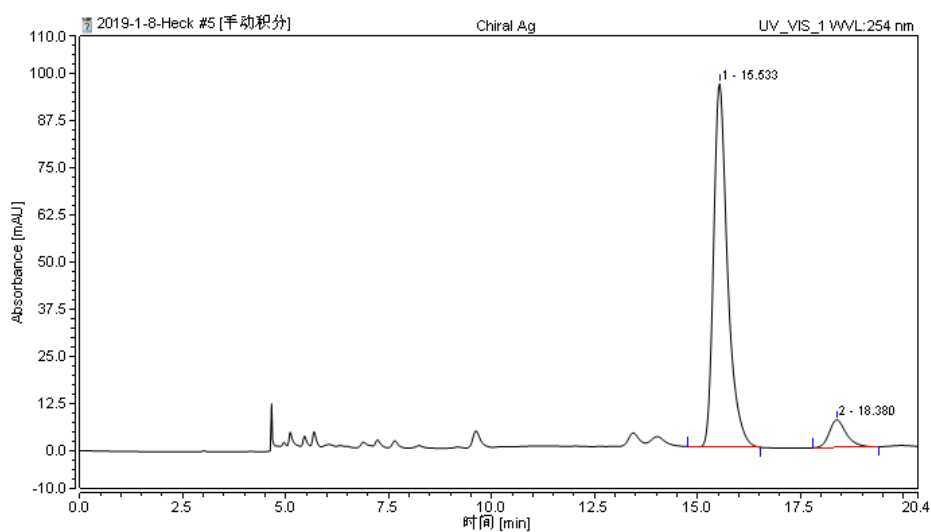
序号	峰名 称	保留时间 (min)	峰面 积 (mAU*min)	峰高 (mAU)	相对峰面 积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.



14



序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		14.750	22.973	57.426	50.19	54.73	n.a.
2		17.583	22.800	47.502	49.81	45.27	n.a.

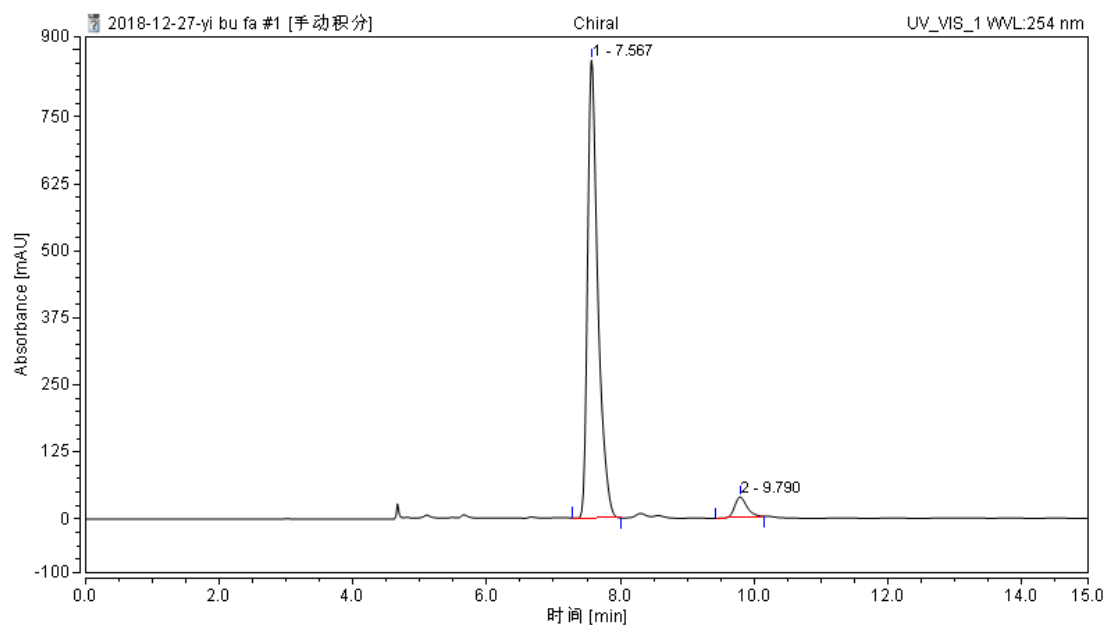
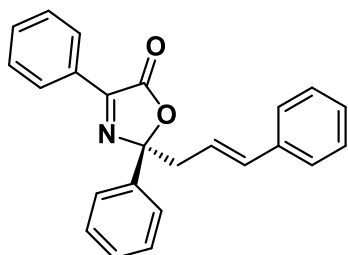


号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
		15.533	37.893	96.377	91.50	92.91	n.a.
		18.380	3.519	7.352	8.50	7.09	n.a.

For comparison of Chinese and English of the HPLC data table.

序号	峰名称	保留时间 (min)	峰面积 (mAU*min)	峰高 (mAU)	相对峰面积 (%)	相对峰高 (%)	样品量 n.a.
Entry	Peak name	Retention time (min)	Peak area (mAU*min)	Peak height (mAU)	Relative peak area (%)	Relative Peak height (%)	Sample volume n.a.

one-pot synthesis



序号	峰名称	保留时间 min	峰面积 mAU*min	峰高 mAU	相对峰面积 %	相对峰高 %	样品量 n.a.
1		7.567	152.218	853.631	95.01	95.74	n.a.
2		9.790	7.996	37.937	4.99	4.26	n.a.