

**Supporting Information  
for  
Palladium-catalyzed diastereo- and enantioselective allylic alkylation  
of oxazolones with 1,3-dienes under base-free conditions**

Haijian Yang and Dong Xing\*

Email: dxing@sat.ecnu.edu.cn

Shanghai Engineering Research Center of Molecular Therapeutics and New Drug Development, School of Chemistry and Molecular Engineering, East China Normal University, 3663 North Zhongshan Rd., Shanghai, 200062, China

**Table of Contents**

<b>1. General information</b>	<b>S1</b>
<b>2. Experimental procedures</b>	<b>S1</b>
<b>3. Characterization data</b>	<b>S5</b>
<b>4. References</b>	<b>S21</b>
<b>5. NMR spectra</b>	<b>S22</b>
<b>6. HPLC spectra</b>	<b>S57</b>

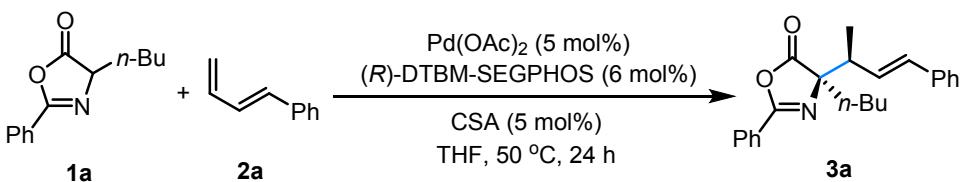
## 1. General information

Unless otherwise stated,  $^1\text{H}$  NMR and  $^{13}\text{C}$  NMR spectra were recorded on a Bruker (400 MHz) spectrometer. Chemical shifts were reported in parts per million (ppm), and the residual solvent peak was used as an internal reference: proton (chloroform  $\delta$  7.26), carbon (chloroform  $\delta$  77.0) or tetramethylsilane (TMS  $\delta$  0.00) was used as a reference. Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, dd = doublet of doublets, td = triplet of doublets, dt = doublet of triplets, ddd = doublet of doublet of doublets, m = multiplet, bs = broad singlet, etc.), coupling constants (Hz) and integration. Infrared (IR) spectra were obtained using a Bruker tensor 27 infrared spectrometer. Enantiomer excess was determined on Shimadzu SPD-M20A HPLC analysis employing Daicel Chiracel columns (IG, OD-H or OJ-H) and *n*-hexane/ *i*-PrOH as eluents. High resolution mass spectra (HRMS) were obtained on IonSpec FT-ICR or Waters Micromass Q-TOF micro Synapt High Definition Mass Spectrometer. Optical rotation was determined on a RUDOLPH AUTOPOL-VI apparatus. Melting points were measured on an INESA WRR-Y melting point apparatus. Flash chromatography was carried out with silica gel 300–400 mesh.

All the key reactions were carried out under nitrogen atmosphere with a stir bar in a sealed vial. Tetrahydrofuran (THF) (99.5%, Extra Dry, stabilized) used for the key reactions was purchased from Acros and degassed with nitrogen before use.  $\text{Pd}(\text{OAc})_2$  were purchased from Strem Chemicals and ligands from Strem Chemicals or TCI. 1,3-oxazol-5(4H)-ones<sup>1–3</sup> and 1,3-dienes<sup>4,5</sup> used for the key reactions were synthesized according to literature procedures. All other materials were obtained from commercial sources and were used as received. The absolute configuration of the product was determined by comparing with the optical rotation of known chiral compound **4m**.<sup>6</sup>

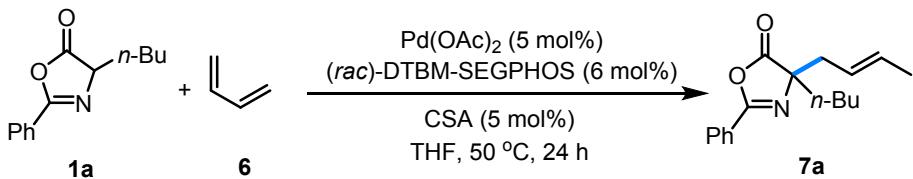
## 2. Experimental procedures

### 2.1 General procedure A for the reaction of oxazolone with substituted 1,3-diene



A 4-mL oven-dried vial charged with a stir bar was transferred into glove box. To this vial was added  $\text{Pd(OAc)}_2$  (1.2 mg, 5 mol%),  $(R)$ -DTBM-SEGPHOS (7.0 mg, 6 mol%) and CSA (1.2 mg, 5 mol%) followed by the addition of THF (0.2 mL). The reaction mixture was allowed to stir for 5 min at room temperature. **1a** (24 mg, 0.12 mmol) and **2a** (13 mg, 0.1 mmol) was then subsequently added. The vial was tightly capped, removed from glove box and heated at 50 °C for 24 h. After the completion of the reaction, the mixture was cooled to room temperature, diluted with EtOAc and passed through a short pad of Celite®. The resulted crude mixture was concentrated *in vacuo* and then analyzed by  $^1\text{H}$  NMR spectroscopy to determine the dr. The combined crude mixture was then purified by silica gel chromatography (PE:  $\text{Et}_2\text{O}=150:1$  to 100:1) to afford **3a** (28.1 mg, 81% yield) as a colorless oil.

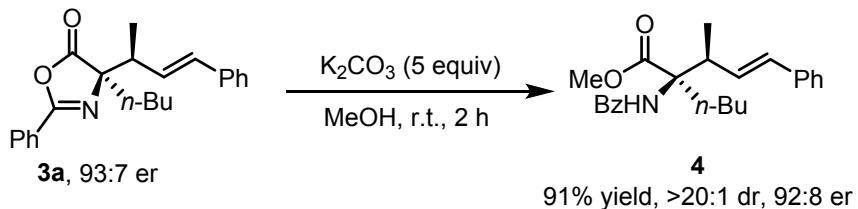
## 2.2 General procedure B for the reaction of oxazolone with 1,3-butadiene



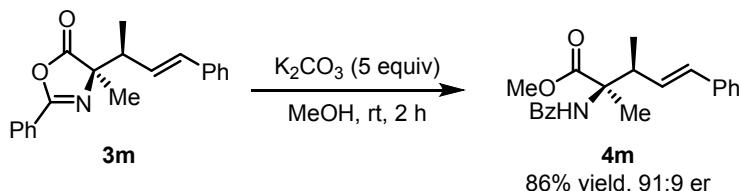
A 4-mL oven-dried vial charged with a stir bar was transferred into glove box. To this vial was added  $\text{Pd(OAc)}_2$  (1.2 mg, 5 mol%),  $(rac)$ -DTBM-SEGPHOS (7.0 mg, 6 mol%) and CSA (1.2 mg, 5 mol%) followed by the addition of THF (0.15 mL). The reaction mixture was allowed to stir for 5 min at room temperature. **1a** (20 mg, 0.1 mmol) and **6** (0.3 mmol, 2 mol/L in THF) was then subsequently added. The vial was tightly capped, removed from glove box and heated at 50 °C for 24 h. After the completion of the reaction, the mixture was cooled to room temperature, diluted with EtOAc and passed through a short pad of Celite®. The resulted crude mixture was concentrated *in vacuo* and then analyzed by  $^1\text{H}$  NMR spectroscopy to determine the rr. The combined crude mixture was then purified by silica gel chromatography (PE:  $\text{Et}_2\text{O}=200:1$  to

150:1) to afford **7a** (21.4 mg, 79% yield) as a colorless oil.

### 2.3 Synthesis of **4** from **3a**<sup>7</sup>

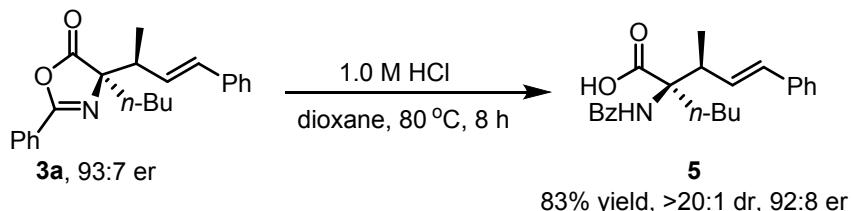


An 8-mL reaction vial with a stir bar was charged with **3a** (50 mg, 0.144 mmol) and  $\text{K}_2\text{CO}_3$  (99.5 mg, 0.72 mmol, 5 equiv) and methanol (1.5 mL). The vial was sealed and allowed to stir at room temperature for 2 h before concentrated by rotary evaporation to remove the solvent. The resulting crude mixture was purified by silica gel chromatography (PE:Et<sub>2</sub>O=20:1 to 10:1) to yield the hydrolyzed product **4** (49.7 mg, 91% yield, dr >20:1, 92:8 er) as a colorless oil.



The known compound **4m**<sup>6</sup> was synthesized from **3m** by following the same procedure mentioned above. The absolute configuration of **4m** was assigned to be (*2R,3S*) by comparing its optical rotation with the literature. **4m**:  $[\alpha]_{20}^{\text{D}} = -52.2^\circ$  (c 1.0, CH<sub>2</sub>Cl<sub>2</sub>).

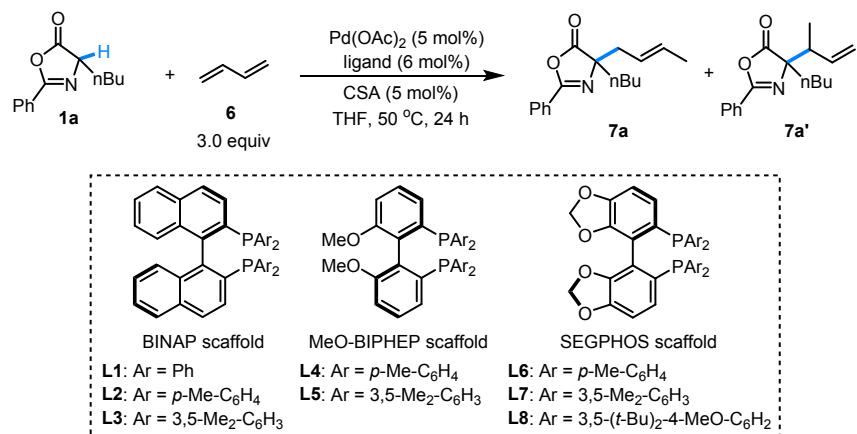
### 2.4 Synthesis of **5** from **3a**<sup>7</sup>



To a 20-mL vial was added **3a** (50 mg, 0.144 mmol), dioxane (9 mL) and 1 M HCl (9 mL). The vial was sealed and allowed to stir at 80 °C for 8 h before being cooled to room temperature and extracted with EtOAc (10 mL × 3). The organic layers were dried

with  $\text{Na}_2\text{SO}_4$ , filtered and concentrated. The resulting crude mixture was then washed by PE to yield **5** as a white solid (46.8 mg, 89% yield, m.p. = 115.3 °C, > 20:1 dr, 92:8 er).  $[\alpha]_{20} D = -52.8^\circ$  (c 0.5,  $\text{CH}_2\text{Cl}_2$ ).

**Scheme S1:** Screening of different ligands for the reaction between **1a** and **6**.<sup>a</sup>

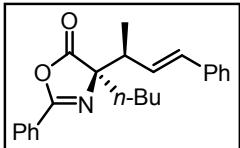


entry	Ligand	isolated yield of <b>7a</b> (%)	rr ( <b>7a</b> : <b>7a'</b> ) <sup>b</sup>	er of <b>7a</b> <sup>c</sup>
1	<b>L1</b>	61%	3.1:1	52:48
2	<b>L2</b>	53%	4:1	53:47
3	<b>L3</b>	51%	2:1	56:44
4	<b>L4</b>	56%	3.4:1	51:49
5	<b>L5</b>	47%	3:1	55:45
6	<b>L6</b>	69%	4.5:1	53:47
7	<b>L7</b>	60%	3:1	61:39
8	<b>L8</b>	79%	12:1	66:34
9	( <i>p</i> -CF <sub>3</sub> Ph) <sub>3</sub> P (10 mol%)	41%	1.3:1	--

<sup>a</sup>Unless otherwise noted, all reactions were run in 0.1 mmol scale of **1a**, **6** (3.0 equiv),  $\text{Pd(OAc)}_2$  (5 mol%), ligand (6 mol%), CSA (5 mol%), THF (0.2 mL). <sup>b</sup>Regioselective ratio (rr) was determined by <sup>1</sup>H NMR spectroscopy of the crude reaction mixture. <sup>c</sup>Enantioselective ratio (er) was determined by chiral HPLC analysis.

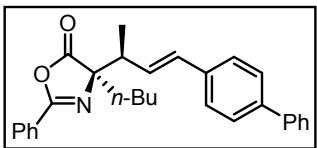
### 3. Characterization data

**(R)-4-butyl-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3a)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 81% yield, 10:1 dr, 93:7 er,  $[\alpha]_{20} D = 93.1^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.98 (d,  $J = 7.6$  Hz, 2H), 7.51 (t,  $J = 7.5$  Hz, 1H), 7.43 (t,  $J = 7.7$  Hz, 2H), 7.33 (d,  $J = 7.7$  Hz, 2H), 7.24 (d,  $J = 15.3$  Hz, 2H), 7.16 (dd,  $J = 13.2, 5.7$  Hz, 1H), 6.44 (d,  $J = 15.9$  Hz, 1H), 6.18 (dd,  $J = 15.9, 9.4$  Hz, 1H), 2.75 (p,  $J = 7.3$  Hz, 1H), 1.70-1.99 (m, 2H), 1.01-1.23 (m, 4H), 0.96 (d,  $J = 6.7$  Hz, 3H), 0.74 (t,  $J = 7.4$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.55, 160.26, 137.03, 132.63, 132.40, 129.65, 128.78, 128.52, 128.01, 127.44, 126.37, 125.85, 44.85, 35.92, 26.02, 22.57, 15.89, 13.86. **IR (v/cm<sup>-1</sup>)**: 693, 750, 764, 957, 1023, 1260, 1275, 1652, 1815, 2961. **HRMS (ESI)** calcd. for C<sub>23</sub>H<sub>26</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 348.1964, Found: 348.1982

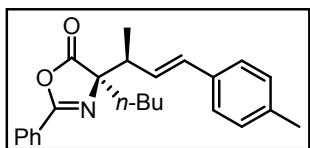
**(R)-4-((S,E)-4-([1,1'-biphenyl]-4-yl)but-3-en-2-yl)-4-butyl-2-phenyloxazol-5(4H)-one (3b)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 80% yield, 11:1 dr, 91:9 er,  $[\alpha]_{20} D = 105.3^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.06 (d,  $J = 7.7$  Hz, 2H), 7.40-7.69 (m, 10H), 7.34 (t,  $J = 7.5$  Hz, 1H), 7.26 (s, 1H), 6.56 (d,  $J = 15.8$  Hz, 1H), 6.31 (dd,  $J = 16.5, 9.5$  Hz, 1H), 2.85 (dt,  $J = 13.9, 6.5$  Hz, 1H), 1.78-2.06 (m, 2H), 1.15-1.37 (m, 4H), 1.05 (d,  $J = 7.0$  Hz, 3H), 0.82 (t,  $J = 7.0$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.56, 160.28, 140.73, 140.25, 136.04, 132.66, 131.94, 129.79, 128.80, 128.78, 128.03, 127.29, 127.23, 126.94, 126.79, 125.83, 44.95, 35.96, 26.03, 22.59, 15.93, 13.88. **IR (v/cm<sup>-1</sup>)**:

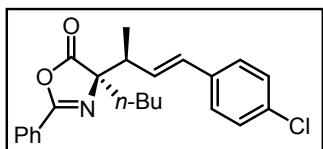
697, 761, 799, 1005, 1022, 1047, 1651, 1813, 2926, 2959. **HRMS (ESI)** calcd. for C<sub>29</sub>H<sub>30</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 424.2277, Found: 424.2256

**(R)-4-butyl-2-phenyl-4-((S,E)-4-(p-tolyl)but-3-en-2-yl)oxazol-5(4H)-one (3c)**



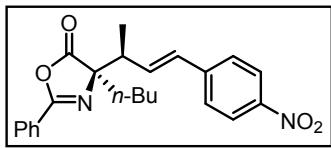
Synthesized by following **general procedure A**, And purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 75% yield, 9:1 dr. 91:9 er. [α]D = 82.7° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.6 (PE/Et<sub>2</sub>O, 40:1). **¹H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.05 (d, J = 7.7 Hz, 2H), 7.58 (t, J = 7.5 Hz, 1H), 7.50 (t, J = 7.8 Hz, 2H), 7.30 (d, J = 7.8 Hz, 2H), 7.12 (d, J = 7.8 Hz, 2H), 6.48 (d, J = 15.8 Hz, 1H), 6.19 (dd, J = 16.0, 9.3 Hz, 1H), 2.81 (p, J = 7.5 Hz, 1H), 2.33 (s, 3H), 2.02 – 1.78 (m, 2H), 1.10–1.35 (m, 4H), 1.02 (d, J = 6.9 Hz, 3H), 0.81 (t, J = 7.4 Hz, 3H). **¹³C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.64, 160.21, 137.25, 134.23, 132.62, 132.24, 129.21, 128.78, 128.55, 128.01, 126.27, 125.86, 44.91, 35.93, 26.03, 22.58, 21.19, 15.94, 13.87. **IR (v/cm⁻¹):** 699, 801, 956, 968, 1022, 1073, 1259, 1651, 1813, 2922, 2959. **HRMS (ESI)** calcd. for C<sub>24</sub>H<sub>28</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 362.2120, Found: 362.2122

**(R)-4-butyl-4-((S,E)-4-(4-chlorophenyl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3d)**



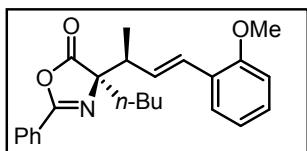
Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 74% yield, 9:1 dr. 91:9 er. [α]D = 89.4° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.55 (PE/Et<sub>2</sub>O, 40:1). **¹H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.05 (d, J = 7.5 Hz, 2H), 7.65 – 7.56 (m, 1H), 7.51 (t, J = 7.8 Hz, 2H), 7.37 – 7.26 (m, 4H), 6.47 (d, J = 15.8 Hz, 1H), 6.29 – 6.17 (m, 1H), 2.82 (p, J = 7.7 Hz, 1H), 2.02 – 1.77 (m, 2H), 1.39 – 1.11 (m, 4H), 1.03 (d, J = 6.1 Hz, 3H), 0.82 (t, J = 6.9 Hz, 3H). **¹³C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.42, 160.34, 135.47, 133.05, 132.70, 131.21, 130.35, 128.81, 128.65, 128.01, 127.57, 125.76, 44.78, 35.89, 26.00, 22.57, 15.81, 13.86. **IR (v/cm⁻¹):** 699, 807, 1012, 1022, 1259, 1491, 1651, 1813, 2922, 2959. **HRMS (ESI)** calcd. for C<sub>23</sub>H<sub>25</sub>ClNO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 382.1574, Found:

**(R)-4-butyl-4-((S,E)-4-(4-nitrophenyl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one  
(3e)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a yellow solid in 26% yield, m.p. 108-110 °C. 9:1 dr. 93:7 er. [α]20 D = 77.0° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.3 (PE/Et<sub>2</sub>O, 40:1). **1H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.18 (d, J = 8.5 Hz, 2H), 8.05 (d, J = 7.7 Hz, 2H), 7.61 (t, J = 7.6 Hz, 1H), 7.52 (t, J = 7.6 Hz, 4H), 6.59 (d, J = 15.9 Hz, 1H), 6.47 (dd, J = 16.1, 9.0 Hz, 1H), 2.88 (p, J = 7.5 Hz, 1H), 1.89 (ddd, J = 23.9, 19.4, 12.6 Hz, 2H), 1.37 – 1.11 (m, 4H), 1.06 (d, J = 7.0 Hz, 3H), 0.82 (t, J = 7.5 Hz, 3H). **13C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.08, 160.58, 146.88, 143.36, 134.88, 132.85, 130.55, 128.85, 128.02, 126.88, 125.62, 123.99, 44.81, 35.93, 25.97, 22.55, 15.65, 13.84. **IR (v/cm<sup>-1</sup>)**: 692, 701, 958, 1022, 1341, 1517, 1651, 1814, 2920, 2958. **HRMS (ESI)** calcd. for C<sub>23</sub>H<sub>25</sub>N<sub>2</sub>O<sub>4</sub><sup>+</sup>(M + H)<sup>+</sup>: 393.1814, Found: 393.1783

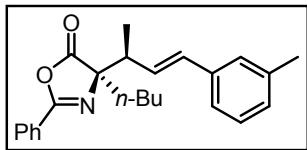
**(R)-4-butyl-4-((S,E)-4-(2-methoxyphenyl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3f)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 77% yield, 10:1 dr. 95:5 er. [α]20 D = 72.7° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.5 (PE/Et<sub>2</sub>O, 40:1). **1H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.05 (d, J = 7.6 Hz, 2H), 7.58 (t, J = 7.6 Hz, 1H), 7.50 (t, J = 7.6 Hz, 3H), 7.21 (t, J = 7.9 Hz, 1H), 6.92 (t, J = 7.6 Hz, 1H), 6.86 (d, J = 12.5 Hz, 2H), 6.22 (dd, J = 16.2, 9.3 Hz, 1H), 3.83 (s, 3H), 2.85 (p, J = 7.6 Hz, 1H), 2.04 – 1.79 (m, 2H), 1.10-1.37 (m, 4H), 1.04 (d, J = 6.8 Hz, 3H), 0.82 (t, J = 7.5 Hz, 3H). **13C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.64, 160.11, 156.48, 132.55, 129.93, 128.74, 128.46, 128.01, 126.95, 126.59, 126.08, 125.94, 120.57, 110.85, 55.46, 45.17, 35.89, 26.04, 22.60, 15.91, 13.87. **IR (v/cm<sup>-1</sup>)**: 698, 749, 797, 956, 1021, 1082, 1243, 1652, 1813, 2928,

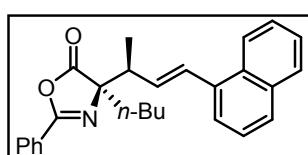
2959. **HRMS (ESI)** calcd. for  $C_{24}H_{28}NO_3^+(M + H)^+$ : 378.2069, Found: 378.2080

**(R)-4-butyl-2-phenyl-4-((S,E)-4-(m-tolyl)but-3-en-2-yl)oxazol-5(4H)-one (3g)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 70% yield, 8:1 dr. 91:9 er.  $[\alpha]_{D}^{20} = 87.7^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.06 (d,  $J = 7.7$  Hz, 2H), 7.58 (d,  $J = 7.5$  Hz, 1H), 7.52 (d,  $J = 7.7$  Hz, 2H), 7.25 – 7.16 (m, 3H), 7.05 (s, 1H), 6.49 (d,  $J = 15.8$  Hz, 1H), 6.24 (dd,  $J = 16.1, 9.3$  Hz, 1H), 2.88 – 2.75 (m, 1H), 2.35 (s, 3H), 2.05 – 1.91 (m, 1H), 1.84 (t,  $J = 13.2$  Hz, 1H), 1.25 (dt,  $J = 14.8, 7.0$  Hz, 4H), 1.02 (d,  $J = 6.9$  Hz, 3H), 0.82 (t,  $J = 7.4$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.62, 160.24, 138.10, 136.93, 132.63, 132.48, 129.39, 128.78, 128.42, 128.24, 128.02, 127.00, 125.85, 123.60, 44.94, 35.95, 26.03, 22.58, 21.39, 15.96, 13.88. **IR (v/cm<sup>-1</sup>)**: 692, 777, 799, 875, 956, 1004, 1022, 1652, 1813, 2925, 2959. **HRMS (ESI)** calcd. for  $C_{24}H_{28}NO_2^+(M + H)^+$ : 362.2120, Found: 362.2112.

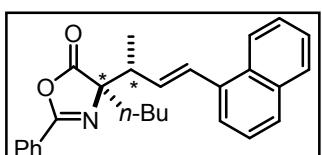
**(R)-4-butyl-4-((S,E)-4-(naphthalen-1-yl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3h)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 41% yield, 4:1 dr. 93:7 er.  $[\alpha]_{D}^{20} = 87.7^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.05 (d,  $J = 7.4$  Hz, 3H), 7.84 (d,  $J = 7.1$  Hz, 1H), 7.76 (d,  $J = 8.3$  Hz, 1H), 7.59 (d,  $J = 7.3$  Hz, 2H), 7.46 (dq,  $J = 18.0, 7.7$  Hz, 5H), 7.28 (d,  $J = 15.9$  Hz, 1H), 6.24 (dd,  $J = 15.7, 9.3$  Hz, 1H), 2.98 (p,  $J = 7.4$  Hz, 1H), 1.88-2.12 (m, 2H), 1.19-1.39 (m, 4H), 1.15 (d,  $J = 7.0$  Hz, 3H), 0.84 (t,  $J = 7.3$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.45, 160.21, 134.83, 133.54, 132.91, 132.63, 131.09, 129.88, 128.79, 128.49, 128.01, 127.81, 125.96, 125.85, 125.71, 125.59, 123.98, 123.76, 44.96, 35.89, 26.02, 22.63, 15.76, 13.86. **IR (v/cm<sup>-1</sup>)**: 698, 775, 795, 875, 956, 1021, 1260,

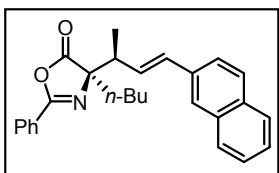
1651, 1812, 2917, 2958. **HRMS (ESI)** calcd. for  $C_{27}H_{28}NO_2^+(M + H)^+$ : 398.2120, Found: 398.2111

**(R\*)-4-butyl-4-((R\*,E)-4-(naphthalen-1-yl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3h')**



Isolated as the minor diastereomer of compound **3h**. Colorless oil, 15% isolated yield, 93:7 er.  $[\alpha]_{D}^{20} = 58.6^{\circ}$  (c 0.5,  $CH_2Cl_2$ ),  $R_f = 0.4$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.03 (d,  $J = 7.5$  Hz, 3H), 7.82 (s, 1H), 7.73 (d,  $J = 8.4$  Hz, 1H), 7.57 (d,  $J = 7.6$  Hz, 1H), 7.47 (dd,  $J = 17.9, 7.8$  Hz, 5H), 7.35 (dd,  $J = 17.2, 8.7$  Hz, 1H), 7.21 (d,  $J = 15.1$  Hz, 1H), 6.12 (dd,  $J = 15.9, 9.4$  Hz, 1H), 3.00 (q,  $J = 7.9$  Hz, 1H), 1.89-2.14 (m, 2H), 1.18-1.41 (m, 7H), 0.87 (t,  $J = 7.3$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 179.97, 160.27, 134.90, 133.50, 132.63, 132.29, 131.11, 130.11, 128.79, 128.76, 128.41, 128.00, 127.98, 127.80, 125.97, 125.86, 125.71, 125.55, 124.10, 123.91, 44.61, 35.43, 25.99, 22.67, 15.25, 13.86, 13.83. **IR (ν/cm<sup>-1</sup>)**: 696, 775, 796, 1021, 1036, 1290, 1450, 1651, 1812, 2919, 2958. **HRMS (ESI)** calcd. for  $C_{27}H_{28}NO_2^+(M + H)^+$ : 398.2120, Found: 398.2111

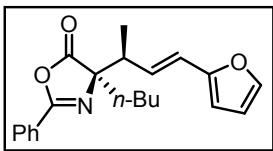
**(R)-4-butyl-4-((S,E)-4-(naphthalen-2-yl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3i)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a white solid in 83% yield, m.p. 93-96 °C. 9:1 dr. 91:9 er.  $[\alpha]_{D}^{20} = 136.9^{\circ}$  (c 0.5,  $CH_2Cl_2$ ),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.07 (d,  $J = 7.6$  Hz, 2H), 7.80 (d,  $J = 6.9$  Hz, 3H), 7.74 (s, 1H), 7.61 (dd,  $J = 18.3, 8.3$  Hz, 2H), 7.51 (t,  $J = 7.7$  Hz, 2H), 7.44 (q,  $J = 6.9$  Hz, 2H), 6.68 (d,  $J = 15.8$  Hz, 1H), 6.38 (dd,  $J = 15.9, 9.3$  Hz, 1H), 2.89 (p,  $J = 7.5$  Hz, 1H), 2.07 – 1.95 (m, 1H), 1.81-1.94 (m, 1H), 1.29 – 1.11 (m, 4H), 1.07 (d,  $J = 6.9$  Hz, 3H), 0.82 (t,  $J = 7.3$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.58, 160.32, 134.45, 133.58, 132.92, 132.67, 132.55, 130.04, 128.81, 128.11, 128.03, 127.92, 127.64, 126.25,

126.11, 125.83, 125.79, 123.70, 45.02, 35.97, 26.05, 22.58, 15.95, 13.87. **IR (v/cm<sup>-1</sup>):** 699, 747, 764, 812, 957, 1022, 1048, 1651, 1812, 2927, 2958. **HRMS (ESI)** calcd. for C<sub>27</sub>H<sub>28</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 398.2120, Found: 398.2111

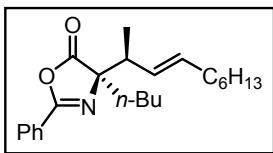
**(R)-4-butyl-4-((S,E)-4-(furan-2-yl)but-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3j)**



Synthesized by following **general procedure A**, And purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a white solid in 66% yield, m.p. 60-63 °C.

10:1 dr. 89:11 er. [α]<sub>20</sub> D = 80.5° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.45 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.05 (d, *J* = 7.7 Hz, 2H), 7.68 – 7.55 (m, 1H), 7.51 (d, *J* = 7.7 Hz, 2H), 7.34 (s, 1H), 6.34 (d, *J* = 18.2 Hz, 2H), 6.28 – 6.13 (m, 2H), 2.77 (dt, *J* = 13.5, 6.3 Hz, 1H), 1.97 (t, *J* = 12.9 Hz, 1H), 1.90 – 1.76 (m, 1H), 1.39 – 1.13 (m, 4H), 1.02 (d, *J* = 6.3 Hz, 3H), 0.82 (t, *J* = 7.3 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.55, 160.25, 152.50, 141.76, 132.63, 128.77, 128.41, 128.03, 125.81, 120.78, 111.21, 107.42, 44.58, 35.90, 26.01, 22.57, 15.82, 13.87. **IR (v/cm<sup>-1</sup>):** 698, 797, 957, 1012, 1077, 1259, 1652, 1812, 2928, 2959. **HRMS (ESI)** calcd. for C<sub>21</sub>H<sub>24</sub>NO<sub>3</sub><sup>+</sup>(M + H)<sup>+</sup> : 338.1756, Found: 338.1775

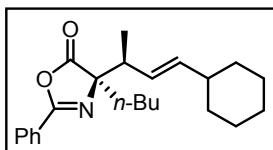
**(R)-4-butyl-4-((S,E)-dec-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3k)**



Synthesized by following **general procedure A**, And purification by flash column chromatography (PE:Et<sub>2</sub>O=200:1 to 150:1) to afford a colorless oil in 62% yield, 8:1 dr. 80:20 er.

[α]<sub>20</sub> D = 31.7° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.7 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.02 (d, *J* = 7.5 Hz, 2H), 7.57 (t, *J* = 7.7 Hz, 1H), 7.50 (d, *J* = 7.8 Hz, 2H), 5.55 (dt, *J* = 14.6, 7.0 Hz, 1H), 5.39 (dd, *J* = 15.5, 9.1 Hz, 1H), 2.60 (p, *J* = 7.9 Hz, 1H), 2.09 – 1.70 (m, 4H), 1.35 – 1.09 (m, 12H), 0.96 (d, *J* = 6.8 Hz, 3H), 0.89 – 0.80 (m, 6H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.65, 159.88, 133.96, 132.49, 129.26, 128.72, 127.93, 125.96, 76.52, 44.31, 35.61, 32.52, 31.69, 29.37, 28.78, 26.00, 22.63, 22.59, 15.70, 14.09, 13.85. **IR (v/cm<sup>-1</sup>):** 697, 750, 764, 875, 955, 1022, 1043, 1652, 1814, 2924, 2957. **HRMS (ESI)** calcd. for C<sub>23</sub>H<sub>34</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 356.2590, Found: 356.2590.

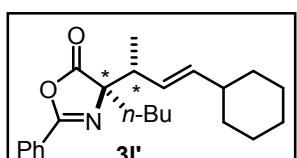
**(R)-4-butyl-4-((S,E)-4-cyclohexylbut-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3l)**



Synthesized by following **general procedure A**, And purification by flash column chromatography (PE:Et<sub>2</sub>O=200:1 to 150:1) to afford a colorless oil in 34% yield, 3:1 dr. 85:15 er.

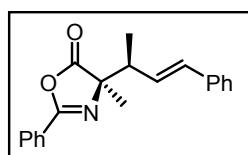
[ $\alpha$ ]<sub>20</sub> D = 33.4° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.7 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.02 (d, J = 7.5 Hz, 2H), 7.57 (t, J = 7.6 Hz, 1H), 7.49 (t, J = 7.7 Hz, 2H), 5.50 (dd, J = 15.8, 6.8 Hz, 1H), 5.34 (dd, J = 16.0, 9.1 Hz, 1H), 2.58 (p, J = 7.7 Hz, 1H), 2.00 – 1.86 (m, 2H), 1.85 – 1.73 (m, 1H), 1.65 (m, 5H), 1.36 – 0.99 (m, 9H), 0.96 (d, J = 6.9 Hz, 3H), 0.84 (t, J = 7.6 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.63, 159.80, 139.80, 132.47, 128.71, 127.93, 126.75, 125.99, 76.50, 44.28, 40.64, 35.49, 33.02, 32.97, 26.13, 25.97, 25.95, 22.64, 15.65, 13.84. **IR (v/cm<sup>-1</sup>)**: 699, 750, 764, 877, 955, 1022, 1260, 1275, 1653, 1814, 2851, 2923. **HRMS (ESI)** calcd. for C<sub>23</sub>H<sub>32</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 354.2433, Found: 354.2421

**(R\*)-4-butyl-4-((R\*,E)-4-cyclohexylbut-3-en-2-yl)-2-phenyloxazol-5(4H)-one (3l')**



Isolated as the minor diastereomer of compound 3l. Colorless oil, 12% isolated yield, 90:10 er, [ $\alpha$ ]<sub>20</sub> D = 31.6° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.6 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.00 (d, J = 7.5 Hz, 2H), 7.56 (d, J = 7.6 Hz, 1H), 7.50 (d, J = 7.9 Hz, 2H), 5.48 (dd, J = 15.8, 6.6 Hz, 1H), 5.25 (dd, J = 15.7, 9.2 Hz, 1H), 2.58 (p, J = 8.0 Hz, 1H), 1.98 – 1.78 (m, 3H), 1.52–1.71 (m, 5H), 1.38 – 1.23 (m, 3H), 1.11–1.22 (m, 3H), 1.10 (d, J = 6.7 Hz, 4H), 0.90–1.02 (m, 2H), 0.85 (t, J = 7.6 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.10, 159.86, 139.71, 132.46, 128.72, 127.89, 126.33, 126.03, 77.08, 43.86, 40.46, 35.12, 32.93, 32.81, 26.11, 25.97, 25.87, 22.67, 15.26, 13.84. **IR (v/cm<sup>-1</sup>)**: 697, 798, 876, 1021, 1035, 1259, 1450, 1652, 1814.35, 2851, 2922. **HRMS (ESI)** calcd. for C<sub>23</sub>H<sub>32</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 354.2433, Found: 354.2421

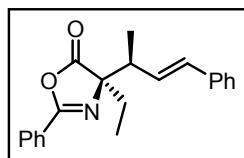
**(R)-4-methyl-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3m)**



Synthesized by following **general procedure A**, and purification

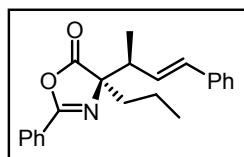
by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 63% yield, 8:1 dr. 91:9 er. [α]<sub>20</sub>D = 106.1° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.4 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.04 (d, J = 7.7 Hz, 2H), 7.59 (t, J = 7.8 Hz, 1H), 7.50 (t, J = 7.9 Hz, 2H), 7.40 (d, J = 7.7 Hz, 2H), 7.32 (t, J = 7.6 Hz, 2H), 7.22 (d, J = 7.0 Hz, 1H), 6.54 (d, J = 15.8 Hz, 1H), 6.25 (dd, J = 16.0, 9.3 Hz, 1H), 2.81 (dt, J = 15.9, 7.2 Hz, 1H), 1.50 (s, 3H), 1.05 (d, J = 6.7 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.94, 160.11, 136.95, 132.77, 132.66, 129.30, 128.78, 128.52, 127.99, 127.49, 126.37, 125.92, 72.44, 45.06, 22.69, 15.81. **IR (ν/cm<sup>-1</sup>)**: 691, 766, 749, 887, 968, 998, 1651, 1819, 2929, 2964. **HRMS (ESI)** calcd. for C<sub>20</sub>H<sub>20</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 306.1494, Found: 306.1490

**(R)-4-ethyl-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3n)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 52% yield, 8:1 dr. 92:8 er. [α]<sub>20</sub>D = 89.0° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.4 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.06 (d, J = 7.5 Hz, 2H), 7.59 (t, J = 7.7 Hz, 1H), 7.51 (d, J = 7.8 Hz, 2H), 7.40 (d, J = 7.7 Hz, 2H), 7.31 (t, J = 7.7 Hz, 2H), 7.25 – 7.19 (m, 1H), 6.52 (d, J = 15.9 Hz, 1H), 6.33 – 6.20 (m, 1H), 2.84 (p, J = 7.6 Hz, 1H), 1.81–2.11 (m, 2H), 1.04 (d, J = 6.1 Hz, 3H), 0.80 (t, J = 7.3 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.44, 160.38, 136.98, 132.65, 132.37, 129.66, 128.78, 128.52, 128.01, 127.46, 126.35, 125.82, 44.58, 29.34, 16.00, 8.15. **IR (ν/cm<sup>-1</sup>)**: 691, 749, 762, 799, 876, 1016, 1260, 1652, 1815, 2923, 2964. **HRMS (ESI)** calcd. for C<sub>21</sub>H<sub>22</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 320.1651, Found: 320.1653.

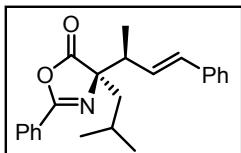
**(R)-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)-4-propyloxazol-5(4H)-one (3o)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 72% yield, 9:1 dr. 91:9 er. [α]<sub>20</sub>D = 84.8° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.5 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.05 (d, J = 7.6 Hz, 2H), 7.63 – 7.55 (m, 1H), 7.50 (t, J = 7.8 Hz, 2H), 7.41 (d, J = 7.7 Hz, 2H), 7.32

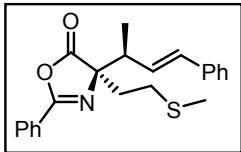
(t,  $J = 7.7$  Hz, 2H), 7.22 (d,  $J = 7.8$  Hz, 1H), 6.52 (d,  $J = 15.9$  Hz, 1H), 6.26 (dd,  $J = 16.0, 9.2$  Hz, 1H), 2.83 (p,  $J = 7.8$  Hz, 1H), 2.03 – 1.73 (m, 2H), 1.24 – 1.08 (m, 2H), 1.03 (d,  $J = 6.4$  Hz, 3H), 0.86 (t,  $J = 7.5$  Hz, 3H).  **$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  180.58, 160.26, 137.00, 132.64, 132.39, 129.64, 128.79, 128.53, 128.00, 127.46, 126.38, 125.82, 76.74, 44.89, 38.23, 17.33, 15.90, 13.88. **IR (v/cm<sup>-1</sup>)**: 692, 749, 763, 881, 942, 1020, 1651, 1810, 2930, 2961. **HRMS (ESI)** calcd. for  $\text{C}_{22}\text{H}_{24}\text{NO}_2^+(\text{M} + \text{H})^+$ : 334.1807, Found: 334.1792

**(R)-4-isobutyl-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3p)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 52% yield, 8:1 dr. 93:7 er.  $[\alpha]_{20} \text{D} = 131.0^\circ$  (c 0.5,  $\text{CH}_2\text{Cl}_2$ ),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1).  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.04 (d,  $J = 7.7$  Hz, 2H), 7.58 (t,  $J = 7.6$  Hz, 1H), 7.51 (d,  $J = 7.8$  Hz, 2H), 7.39 (d,  $J = 7.7$  Hz, 2H), 7.31 (t,  $J = 7.7$  Hz, 2H), 7.23 (dd,  $J = 13.1, 6.0$  Hz, 1H), 6.49 (d,  $J = 15.8$  Hz, 1H), 6.21 (dd,  $J = 15.1, 9.6$  Hz, 1H), 2.77 (p,  $J = 7.7$  Hz, 1H), 2.05 (dd,  $J = 14.1, 5.3$  Hz, 1H), 1.78 (dd,  $J = 14.8, 6.9$  Hz, 1H), 1.53 (dt,  $J = 13.7, 6.2$  Hz, 1H), 1.03 (d,  $J = 6.2$  Hz, 3H), 0.94 – 0.76 (m, 6H).  **$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  181.04, 159.92, 137.01, 132.62, 132.60, 129.65, 128.80, 128.51, 127.97, 127.45, 126.38, 125.94, 45.98, 44.71, 25.07, 24.05, 23.29, 15.49. **IR (v/cm<sup>-1</sup>)**: 692, 750, 764, 1022, 1260, 1275, 1651, 1811, 2960. **HRMS (ESI)** calcd. for  $\text{C}_{23}\text{H}_{26}\text{NO}_2^+(\text{M} + \text{H})^+$ : 348.1964, Found: 348.1982.

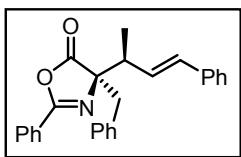
**(R)-4-(2-(methylthio)ethyl)-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3q)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 71% yield, 9:1 dr. 92:8 er.  $[\alpha]_{20} \text{D} = 102.1^\circ$  (c 0.5,  $\text{CH}_2\text{Cl}_2$ ),  $R_f = 0.3$  (PE/Et<sub>2</sub>O, 40:1).  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.05 (d,  $J = 7.7$  Hz, 2H), 7.60 (t,  $J = 7.7$  Hz, 1H), 7.52 (d,  $J = 7.7$  Hz, 2H), 7.39 (d,  $J = 7.6$  Hz, 2H), 7.31 (d,  $J = 15.2$  Hz, 2H), 7.23 (d,  $J = 7.5$  Hz, 1H), 6.53 (d,  $J = 15.8$  Hz, 1H),

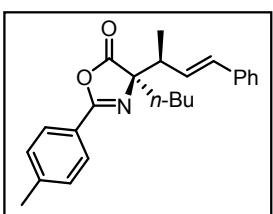
6.23 (dd,  $J = 15.9, 9.3$  Hz, 1H), 2.83 (p,  $J = 7.8$  Hz, 1H), 2.40 (q,  $J = 10.5$  Hz, 1H), 2.31 (d,  $J = 9.2$  Hz, 2H), 2.21 (q,  $J = 10.8$  Hz, 1H), 2.02 (s, 3H), 1.05 (d,  $J = 6.8$  Hz, 3H).  **$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  180.20, 160.87, 136.82, 132.84, 132.81, 129.22, 128.82, 128.54, 128.07, 127.58, 126.39, 125.71, 75.59, 45.11, 35.11, 28.75, 15.58, 15.29. **IR (v/cm<sup>-1</sup>)**: 691, 749, 764, 876, 966, 998, 1260, 1650, 1811, 2918, 2964. **HRMS (ESI)** calcd. for  $\text{C}_{22}\text{H}_{24}\text{NO}_2\text{S}^+(\text{M} + \text{H})^+$ : 366.1528, Found: 366.1552.

**(R)-4-benzyl-2-phenyl-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3r)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 37% yield, 8:1 dr. 86:14 er.  $[\alpha]_{20} \text{D} = 205.8^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.3$  (PE/Et<sub>2</sub>O, 40:1).  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.85 (d,  $J = 7.7$  Hz, 2H), 7.51 (d,  $J = 7.2$  Hz, 1H), 7.44 (t,  $J = 8.8$  Hz, 4H), 7.34 (t,  $J = 7.6$  Hz, 2H), 7.25 (d,  $J = 15.7$  Hz, 1H), 7.11 (s, 5H), 6.61 (d,  $J = 15.9$  Hz, 1H), 6.37 (dd,  $J = 16.1, 9.4$  Hz, 1H), 3.30 (d,  $J = 13.4$  Hz, 1H), 3.08 (d,  $J = 13.5$  Hz, 1H), 2.99 (p,  $J = 6.7, 6.2$  Hz, 1H), 1.08 (d,  $J = 6.7$  Hz, 3H).  **$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  179.66, 160.16, 136.96, 134.63, 132.78, 132.46, 130.13, 129.56, 128.62, 128.58, 128.03, 127.85, 127.57, 127.03, 126.44, 125.72, 77.99, 45.03, 42.56, 16.27. **IR (v/cm<sup>-1</sup>)**: 691, 747, 777, 799, 965, 1023, 1056, 1260, 1812, 2921, 2962. **HRMS (ESI)** calcd. for  $\text{C}_{26}\text{H}_{24}\text{NO}_2^+(\text{M} + \text{H})^+$ : 382.1807, Found: 382.1803.

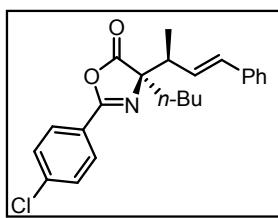
**(R)-4-butyl-4-((S,E)-4-phenylbut-3-en-2-yl)-2-(p-tolyl)oxazol-5(4H)-one (3s)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 45% yield, 8:1 dr. 93:7 er.  $[\alpha]_{20} \text{D} = 94.3^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1).  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.94 (d,  $J = 7.8$  Hz, 2H), 7.40 (d,  $J = 7.4$  Hz, 2H), 7.30 (d,  $J = 7.8$  Hz, 4H), 7.21 (d,  $J = 7.6$  Hz, 1H), 6.51 (d,  $J = 15.8$  Hz, 1H), 6.26 (dd,  $J = 16.1, 9.6$  Hz, 1H), 2.80 (q,  $J = 7.7$  Hz, 1H), 2.44 (s, 3H), 1.74-2.07 (m, 2H), 1.12-1.32 (m, 4H), 1.02 (d,  $J = 6.0$  Hz, 3H), 0.81 (t,  $J = 6.5$  Hz, 3H).  **$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$

180.71, 160.30, 143.36, 137.05, 132.33, 129.75, 129.51, 128.51, 128.00, 127.42, 126.38, 123.05, 76.57, 44.90, 35.98, 26.04, 22.59, 21.72, 15.91, 13.89. **IR** ( $\nu/\text{cm}^{-1}$ ): 691, 727, 749, 764, 957, 1650, 1812, 2923, 2958. **HRMS (ESI)** calcd. for  $\text{C}_{24}\text{H}_{28}\text{NO}_2^+(\text{M} + \text{H})^+$ : 362.2120, Found: 362.2112

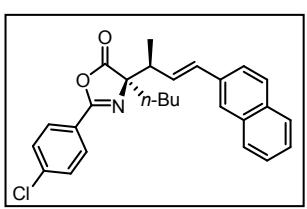
**(R)-4-butyl-2-(4-chlorophenyl)-4-((S,E)-4-phenylbut-3-en-2-yl)oxazol-5(4H)-one (3t)**



Synthesized by following **general procedure A**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 46% yield, 13:1 dr. 92:8 er.  $[\alpha]_{20}^D = 94.3^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.5$  (PE/Et<sub>2</sub>O, 40:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)**  $\delta$  7.98 (d,  $J = 8.2$  Hz, 2H), 7.48 (d,  $J = 8.2$  Hz, 2H), 7.39 (d,  $J = 7.7$  Hz, 2H), 7.31 (t,  $J = 7.6$  Hz, 2H), 7.23 (d,  $J = 16.3$  Hz, 1H), 6.51 (d,  $J = 15.8$  Hz, 1H), 6.23 (dd,  $J = 16.0, 9.3$  Hz, 1H), 2.82 (p,  $J = 7.5$  Hz, 1H), 1.77-2.07 (m, 2H), 1.08-1.32 (m, 4H), 1.03 (d,  $J = 6.8$  Hz, 3H), 0.82 (t,  $J = 7.4$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)**  $\delta$  180.18, 159.43, 139.04, 136.95, 132.51, 129.43, 129.30, 129.18, 128.54, 127.50, 126.36, 124.28, 76.79, 44.81, 35.87, 26.01, 22.56, 15.87, 13.86. **IR** ( $\nu/\text{cm}^{-1}$ ): 690, 730, 749, 264, 954, 1089, 1651, 1815, 2926, 2959. **HRMS (ESI)** calcd. for  $\text{C}_{23}\text{H}_{25}\text{ClNO}_2^+(\text{M} + \text{H})^+$ : 382.1574, Found: 382.1588.

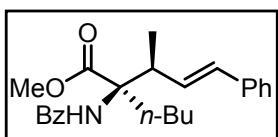
**(R)-4-butyl-2-(4-chlorophenyl)-4-((S,E)-4-(naphthalen-2-yl)but-3-en-2-yl)oxazol-5(4H)-one (3u)**



Synthesized by following **general procedure A**, And purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a white solid in 41% yield, m.p. 122-124 °C. 8:1 dr. 93:7 er.  $[\alpha]_{20}^D = 148.8^\circ$  (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>),  $R_f = 0.3$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)**  $\delta$  8.00 (d,  $J = 7.9$  Hz, 2H), 7.80 (d,  $J = 7.1$  Hz, 3H), 7.73 (s, 1H), 7.62 (d,  $J = 8.8$  Hz, 1H), 7.56 – 7.36 (m, 4H), 6.67 (d,  $J = 15.8$  Hz, 1H), 6.35 (dd,  $J = 15.5, 9.4$  Hz, 1H), 2.95 – 2.81 (m, 1H), 2.07 – 1.80 (m, 2H), 1.09-1.36 (m, 4H), 1.07 (d,  $J = 6.5$  Hz, 3H), 0.82 (t,  $J = 6.9$  Hz,

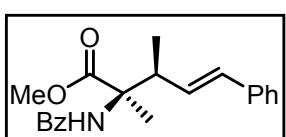
3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.20, 159.50, 139.07, 134.37, 133.58, 132.94, 132.66, 129.82, 129.32, 129.20, 128.15, 127.92, 127.65, 126.29, 126.14, 125.84, 124.27, 123.64, 76.85, 44.96, 35.92, 26.04, 22.57, 15.92, 13.87. **IR (ν/cm<sup>-1</sup>)**: 746, 764, 812, 839, 957, 1001, 1089, 1262, 1650, 1812, 2926, 2960. **HRMS (ESI)** calcd. for C<sub>27</sub>H<sub>27</sub>ClNO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 432.1730, Found: 432.1698.

#### methyl (*R*)-2-benzamido-2-((*S,E*)-4-phenylbut-3-en-2-yl)hexanoate (4)



Synthesized by following **experimental procedure 2.3**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=20:1 to 10:1) to afford a colorless oil in 91% yield, 49.7 mg, >20:1 dr, 92:8 er [α]<sub>20</sub> D = -60.3° (c 0.5, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.4 (PE/Et<sub>2</sub>O, 5:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.76 (d, *J* = 7.4 Hz, 2H), 7.47 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.6 Hz, 2H), 7.30 (d, *J* = 8.4 Hz, 3H), 7.20 (d, *J* = 7.6 Hz, 2H), 6.42 (d, *J* = 15.7 Hz, 1H), 6.12 (dd, *J* = 15.8, 9.2 Hz, 1H), 3.84 (s, 3H), 3.39 (p, *J* = 7.6 Hz, 1H), 2.72 (t, *J* = 12.4 Hz, 1H), 2.10 (t, *J* = 12.5 Hz, 1H), 1.31 (d, *J* = 8.1 Hz, 3H), 1.21 (d, *J* = 6.9 Hz, 3H), 0.97 (d, *J* = 10.3 Hz, 1H), 0.86 (t, *J* = 6.9 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 174.01, 166.35, 137.26, 135.43, 131.67, 131.36, 131.09, 128.60, 128.48, 127.27, 126.84, 126.31, 67.87, 52.76, 43.14, 31.84, 26.87, 22.64, 15.92, 14.06. **IR (ν/cm<sup>-1</sup>)**: 693, 749, 764, 800, 1260, 1275, 1485, 1511, 1667, 2870, 2958. **HRMS (ESI)**: calcd. for C<sub>24</sub>H<sub>30</sub>NO<sub>3</sub><sup>+</sup>(M + H)<sup>+</sup>: 380.2226, Found: 380.2202

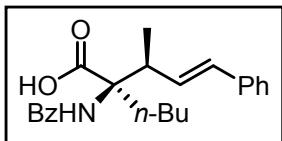
#### methyl (2*R*,3*S*,*E*)-2-benzamido-2,3-dimethyl-5-phenylpent-4-enoate (4m)



Synthesized by following **experimental procedure 2.3**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=10:1 to 5:1) to afford a colorless oil in 86% yield, >20:1 dr. 91:9 er. [α]<sub>20</sub> D = -52.2° (c 1.0, CH<sub>2</sub>Cl<sub>2</sub>), R<sub>f</sub> = 0.3 (PE/Et<sub>2</sub>O, 4:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.72 (d, *J* = 7.2 Hz, 2H), 7.46 (d, *J* = 6.9 Hz, 1H), 7.43 – 7.33 (m, 4H), 7.31 (s, 2H), 7.24 (d, *J* = 7.6 Hz, 1H), 6.84 (s, 1H), 6.52 (d, *J* = 15.5 Hz, 1H), 6.17 (dd, *J* = 15.5, 9.3 Hz, 1H), 3.78 (s, 3H), 3.00 (t, *J* = 8.1 Hz, 1H), 1.80 (s, 3H), 1.22 (d, *J* = 6.2 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 173.34, 166.70, 136.83, 134.71, 132.44, 131.52,

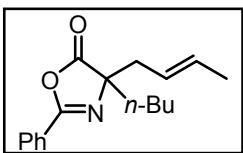
130.20, 128.60, 128.57, 127.63, 126.87, 126.36, 62.67, 52.47, 45.18, 20.68, 15.73. **IR** ( $\nu/\text{cm}^{-1}$ ): 692, 713, 800, 1025, 1104, 1259, 1486, 1518, 1647, 1736, 2962. **HRMS (ESI)**: calcd. for  $\text{C}_{21}\text{H}_{24}\text{NO}_3^+(\text{M} + \text{H})^+$ : 338.1756, Found: 338.1775.

**(R)-2-benzamido-2-((S,E)-4-phenylbut-3-en-2-yl)hexanoic acid (5)**



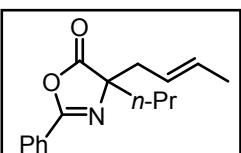
Synthesized by following **experimental procedure 2.4**. 46.8 mg, 89% yield, colorless solid, m.p. = 115 °C, > 20:1 dr, 92:8 er,  $[\alpha]_{20} D = -52.8^\circ$  (c 0.5,  $\text{CH}_2\text{Cl}_2$ ).  **$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  9.48 (bs, 1H), 7.75 (d,  $J = 7.5$  Hz, 2H), 7.49 (t,  $J = 7.7$  Hz, 1H), 7.41 (d,  $J = 7.8$  Hz, 2H), 7.30 (t,  $J = 7.8$  Hz, 3H), 7.19 (t,  $J = 7.5$  Hz, 1H), 7.10 (s, 1H), 6.48 (d,  $J = 15.7$  Hz, 1H), 6.18 (dd,  $J = 16.0, 9.2$  Hz, 1H), 3.39 (q,  $J = 7.8$  Hz, 1H), 2.64 (t,  $J = 12.7$  Hz, 1H), 2.17 (t,  $J = 12.2$  Hz, 1H), 1.43 – 1.29 (m, 3H), 1.27 (d,  $J = 6.0$  Hz, 3H), 1.12 (s, 1H), 0.87 (t,  $J = 7.0$  Hz, 3H).  **$^{13}\text{C NMR}$  (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  176.83, 167.19, 137.09, 134.88, 132.12, 131.64, 130.78, 128.68, 128.50, 127.38, 126.88, 126.34, 67.46, 42.96, 31.90, 26.63, 22.69, 15.84, 14.04. **IR** ( $\nu/\text{cm}^{-1}$ ): 691, 749, 799, 1026, 1260, 1275, 1487, 1520, 1626, 1715, 2857, 2926, 2959. **HRMS (ESI)** calcd. for  $\text{C}_{23}\text{H}_{28}\text{NO}_3^+(\text{M} + \text{H})^+$ : 366.2069, Found: 366.2044.

**(E)-4-(but-2-en-1-yl)-4-butyl-2-phenyloxazol-5(4H)-one (7a)**



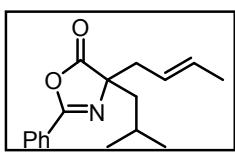
Synthesized by following **general procedure B**, and purification by flash column chromatography (PE: $\text{Et}_2\text{O}$ =200:1 to 150:1) to afford a colorless oil in 79% yield, 12:1 rr, 66:34 er,  $R_f = 0.3$  (PE: $\text{Et}_2\text{O}$ , 40:1).  **$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.01 (d,  $J = 7.6$  Hz, 2H), 7.57 (d,  $J = 7.7$  Hz, 1H), 7.50 (d,  $J = 7.9$  Hz, 2H), 5.59 (tt,  $J = 11.3, 6.7$  Hz, 1H), 5.27 (dt,  $J = 15.5, 7.5$  Hz, 1H), 2.54 (dt,  $J = 21.6, 13.5$  Hz, 2H), 1.89 (dt,  $J = 11.3, 4.8$  Hz, 2H), 1.58 (d,  $J = 5.1$  Hz, 3H), 1.17–1.39 (m, 3H), 1.04–1.17 (m, 1H), 0.86 (t,  $J = 7.3$  Hz, 3H).  **$^{13}\text{C NMR}$  (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  180.16, 159.78, 132.55, 131.20, 128.75, 127.91, 125.97, 123.05, 74.10, 40.70, 36.69, 26.01, 22.57, 17.98, 13.81. **IR** ( $\nu/\text{cm}^{-1}$ ): 694, 778, 882, 967, 1039, 1290, 1320, 1450, 1652, 1815, 2858, 2957; **HRMS (ESI)** calcd. for  $\text{C}_{17}\text{H}_{22}\text{NO}_2^+(\text{M} + \text{H})^+$ : 272.1651, Found: 272.1652.

**(E)-4-(but-2-en-1-yl)-2-phenyl-4-propyloxazol-5(4H)-one (7b)**



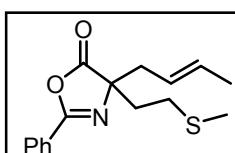
Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=200:1 to 150:1) to afford a colorless oil in 76% yield, 13:1 rr. R<sub>f</sub> = 0.4 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.00 (d, J = 7.6 Hz, 2H), 7.57 (d, J = 7.4 Hz, 1H), 7.50 (d, J = 7.7 Hz, 2H), 5.59 (dq, J = 14.0, 6.8 Hz, 1H), 5.28 (dt, J = 15.1, 7.4 Hz, 1H), 2.54 (dt, J = 21.6, 13.5 Hz, 2H), 1.97 – 1.79 (m, J = 8.7, 6.9 Hz, 2H), 1.59 (d, J = 4.6 Hz, 3H), 1.35 – 1.10 (m, 2H), 0.90 (t, J = 7.4 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.14, 159.80, 132.55, 131.21, 128.75, 127.89, 125.94, 123.03, 74.11, 40.69, 39.01, 17.99, 17.35, 13.89. **IR (v/cm<sup>-1</sup>)**: 694, 881, 966, 1021, 1291, 1450, 1652, 1815, 2960. **HRMS (ESI)**: calcd. for C<sub>16</sub>H<sub>20</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 258.1494, Found: 2528.1484.

**(E)-4-(but-2-en-1-yl)-4-isobutyl-2-phenyloxazol-5(4H)-one (7c)**



Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=200:1 to 150:1) to afford a colorless oil in 74% yield, 13:1 rr. R<sub>f</sub> = 0.4 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.00 (d, J = 7.6 Hz, 2H), 7.63 – 7.54 (m, 1H), 7.51 (d, J = 8.0 Hz, 2H), 5.71 – 5.46 (m, 1H), 5.36 – 5.15 (m, 1H), 2.51 (dt, J = 21.0, 13.2 Hz, 2H), 1.95 (dt, J = 14.7, 4.0 Hz, 1H), 1.80 (dd, J = 13.7, 7.5 Hz, 1H), 1.64 (dt, J = 13.9, 4.7 Hz, 1H), 1.57 (d, J = 5.0 Hz, 3H), 1.02 – 0.76 (m, 6H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.64, 159.49, 132.54, 131.52, 128.79, 127.87, 126.04, 122.74, 73.54, 45.65, 41.94, 25.00, 24.06, 23.00, 18.00. **IR (v/cm<sup>-1</sup>)**: 696, 881, 969, 1046, 1289, 1320, 1450, 1494, 1652, 1815, 2957. **HRMS (ESI)** calcd. for C<sub>17</sub>H<sub>22</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 272.1651, Found: 272.1652.

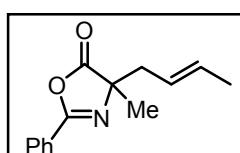
**(E)-4-(but-2-en-1-yl)-4-(2-(methylthio)ethyl)-2-phenyloxazol-5(4H)-one (7d)**



Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=100:1 to 40:1) to afford a colorless oil in 81% yield, 14:1 rr, R<sub>f</sub> = 0.2 (PE/Et<sub>2</sub>O,

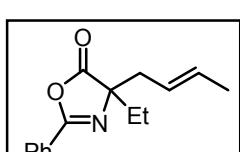
40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.00 (d, *J* = 7.5 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 1H), 7.50 (t, *J* = 7.5 Hz, 2H), 5.61 (dt, *J* = 15.0, 6.9 Hz, 1H), 5.28 (dt, *J* = 15.3, 7.4 Hz, 1H), 2.50 (ddd, *J* = 28.7, 13.6, 7.5 Hz, 3H), 2.38 (q, *J* = 12.6, 10.6 Hz, 1H), 2.22 (t, *J* = 7.8 Hz, 2H), 2.05 (s, 3H), 1.60 (d, *J* = 5.3 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 179.82, 160.45, 132.72, 131.75, 128.79, 127.96, 125.84, 122.51, 72.96, 40.93, 35.77, 28.77, 18.01, 15.21. **IR (v/cm<sup>-1</sup>)**: 692, 882, 966, 1057, 1289, 1319, 1450, 1650, 1812, 2916. **HRMS (ESI)** calcd. for C<sub>16</sub>H<sub>20</sub>NO<sub>2</sub>S<sup>+</sup>(M + H)<sup>+</sup>: 290.1215, Found: 290.1243.

### (E)-4-(but-2-en-1-yl)-4-methyl-2-phenyloxazol-5(4H)-one (7e)



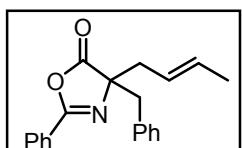
Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=80:1 to 40:1) to afford a colorless oil in 81% yield, 14:1 rr, R<sub>f</sub> = 0.3 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.00 (d, *J* = 7.9 Hz, 2H), 7.57 (d, *J* = 7.5 Hz, 1H), 7.49 (t, *J* = 7.5 Hz, 2H), 5.61 (dd, *J* = 15.1, 7.2 Hz, 1H), 5.29 (dt, *J* = 15.2, 7.2 Hz, 1H), 2.54 (t, *J* = 7.2 Hz, 2H), 1.60 (d, *J* = 6.5 Hz, 3H), 1.51 (s, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.49, 159.69, 132.60, 131.36, 128.76, 127.90, 126.00, 123.14, 70.04, 41.37, 23.16, 17.99. **IR (v/cm<sup>-1</sup>)**: 693, 884, 1000, 1290, 1320, 1450, 1652, 1818, 2917. **HRMS (ESI)** calcd. for C<sub>14</sub>H<sub>16</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 230.1181, Found: 230.1207.

### (E)-4-(but-2-en-1-yl)-4-ethyl-2-phenyloxazol-5(4H)-one (7f)



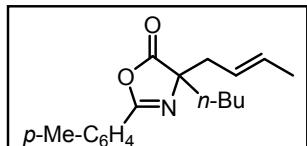
Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 100:1) to afford a colorless oil in 33% yield, 11:1 rr, R<sub>f</sub> = 0.4 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.01 (d, *J* = 7.6 Hz, 2H), 7.57 (d, *J* = 7.7 Hz, 1H), 7.51 (d, *J* = 8.1 Hz, 2H), 5.60 (dd, *J* = 15.3, 7.5 Hz, 1H), 5.28 (dt, *J* = 15.5, 7.4 Hz, 1H), 2.69 – 2.42 (m, 2H), 1.94 (q, *J* = 8.9 Hz, 2H), 1.59 (s, 3H), 0.85 (td, *J* = 7.6, 2.6 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.06, 159.92, 132.58, 131.16, 128.76, 127.92, 125.93, 123.10, 74.62, 40.33, 30.07, 18.00, 8.24. **IR (v/cm<sup>-1</sup>)**: 692, 883, 1013, 1290, 1331, 1450, 1652, 1816, 2911. **HRMS (ESI)** calcd. for C<sub>15</sub>H<sub>18</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 244.1338, Found: 244.1344.

**(E)-4-benzyl-4-(but-2-en-1-yl)-2-phenyloxazol-5(4H)-one (7g)**



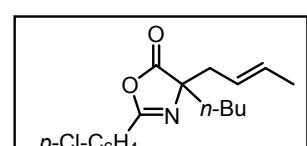
Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=150:1 to 80:1) to afford a colorless oil in 66% yield, 14:1 rr, R<sub>f</sub> = 0.2 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.84 (d, J = 7.3 Hz, 2H), 7.52 (t, J = 7.4 Hz, 1H), 7.42 (t, J = 7.7 Hz, 2H), 7.23 – 7.01 (m, 5H), 5.63 (dq, J = 13.9, 6.8 Hz, 1H), 5.30 (dt, J = 15.2, 7.5 Hz, 1H), 3.18 (q, J = 13.6 Hz, 2H), 2.67 (dd, J = 7.5, 3.8 Hz, 2H), 1.60 (d, J = 5.3 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 179.27, 159.75, 134.48, 132.46, 131.47, 130.14, 128.64, 128.13, 127.80, 127.13, 125.78, 122.99, 75.13, 43.11, 40.50, 18.03. **IR (v/cm<sup>-1</sup>)**: 693, 886, 969, 1056, 1291, 1450, 152, 1813, 2918. **HRMS (ESI)** calcd. for C<sub>20</sub>H<sub>20</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 306.1494, Found: 306.1490.

**(E)-4-(but-2-en-1-yl)-4-butyl-2-(p-tolyl)oxazol-5(4H)-one (7h)**



Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=100:1 to 40:1) to afford a colorless oil in 51% yield, 14:1 rr, R<sub>f</sub> = 0.3 (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.89 (d, J = 6.7 Hz, 2H), 7.30 (d, J = 7.7 Hz, 2H), 5.57 (dt, J = 13.8, 6.9 Hz, 1H), 5.27 (dt, J = 15.4, 7.3 Hz, 1H), 2.53 (qd, J = 13.4, 12.7, 6.4 Hz, 2H), 2.44 (d, J = 2.9 Hz, 3H), 1.86 (t, J = 11.8 Hz, 2H), 1.58 (d, J = 6.4 Hz, 3H), 1.33 – 1.06 (m, 4H), 0.85 (t, J = 6.9 Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 180.32, 159.83, 143.28, 131.11, 129.48, 127.90, 123.13, 74.00, 40.74, 36.73, 26.02, 22.58, 21.69, 18.00, 13.83. **IR (v/cm<sup>-1</sup>)**: 726, 827, 883, 969, 1040, 1180, 1298, 1314, 1651, 1815, 2858, 2920, 2957. **HRMS (ESI)** calcd. for C<sub>18</sub>H<sub>24</sub>NO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 286.1791, Found: 286.1791.

**(E)-4-(but-2-en-1-yl)-4-butyl-2-(4-chlorophenyl)oxazol-5(4H)-one (7i)**



Synthesized by following **general procedure B**, and purification by flash column chromatography (PE:Et<sub>2</sub>O=80:1 to 40:1) to afford a colorless oil in 43% yield,

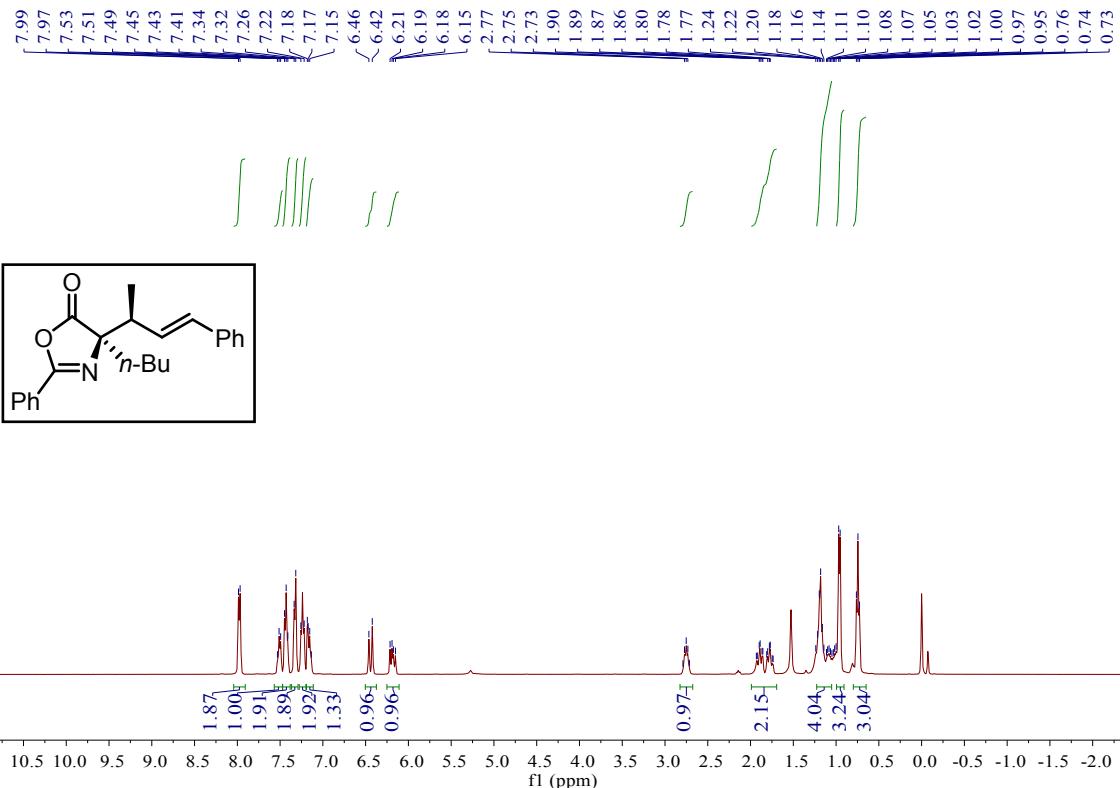
12:1 rr,  $R_f = 0.4$  (PE/Et<sub>2</sub>O, 40:1). **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.94 (d,  $J = 7.2$  Hz, 2H), 7.47 (d,  $J = 8.3$  Hz, 2H), 5.58 (dq,  $J = 14.9, 7.5$  Hz, 1H), 5.25 (dt,  $J = 15.5, 7.7$  Hz, 1H), 2.54 (tt,  $J = 21.9, 10.0$  Hz, 2H), 1.89 (qd,  $J = 9.7, 6.7, 5.1$  Hz, 2H), 1.78 – 1.51 (m, 3H), 1.34 – 1.06 (m, 4H), 0.86 (d,  $J = 7.6$  Hz, 3H). **<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 179.84, 158.96, 138.95, 131.36, 129.20, 129.16, 124.39, 122.90, 74.22, 40.64, 36.63, 26.01, 22.55, 18.00, 13.81. **IR (ν/cm<sup>-1</sup>)**: 730, 839, 968, 1039, 1090, 1294, 1651, 1819, 2856, 2920, 2957. **HRMS (ESI)** calcd. for C<sub>17</sub>H<sub>21</sub>ClNO<sub>2</sub><sup>+</sup>(M + H)<sup>+</sup>: 306.1261, Found: 306.1232.

#### 4. References:

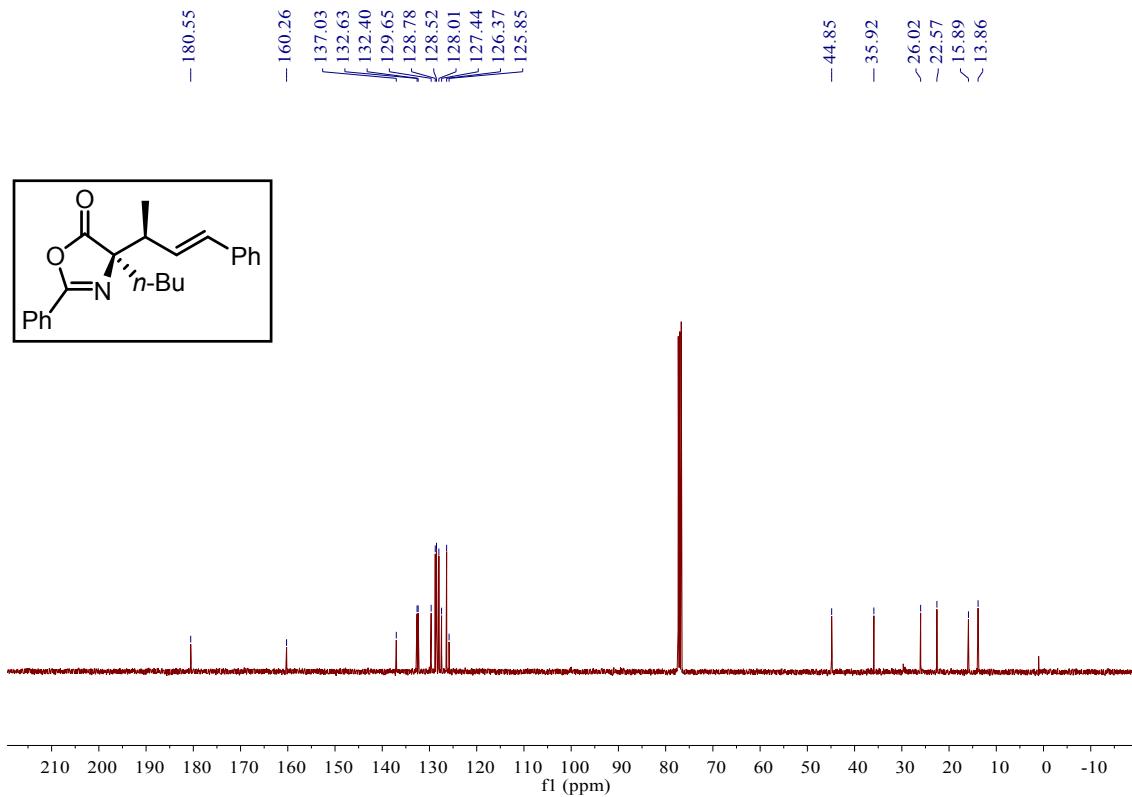
- [1]. C. Macovei, P. Vicennati, J. Quinton, M.-C. Nevers, H. Volland, C. Créminon and F. Taran, *Chem. Commun.* 2012, **48**, 4411–4413.
- [2]. A.-D. Melhado, M. Luparia and F.-D Toste, *J. Am. Chem. Soc.* 2007, **129**, 42, 12638–12639
- [3]. M. Kalek and G.-C. Fu, *J. Am. Chem. Soc.* 2015, **137**, 9438–9442
- [4]. H. Kinuta, M. Tobisu, N. Chatani, *J. Am. Chem. Soc.* 2015, **137**, 1593.
- [5]. A. Tortajada, R. Ninokata, R. Martin, *J. Am. Chem. Soc.* 2018, **140**, 2050.
- [6]. M. Kawatsura, D. Ikeda, T. Ishii, Y. Komatsu, J. Uenishi, *Synlett.* 2006, 2435.
- [7]. M.-J. Goldfogel and S.-J. Meek. *Chem. Sci.*, 2016, **7**, 4079

## 5. NMR spectra

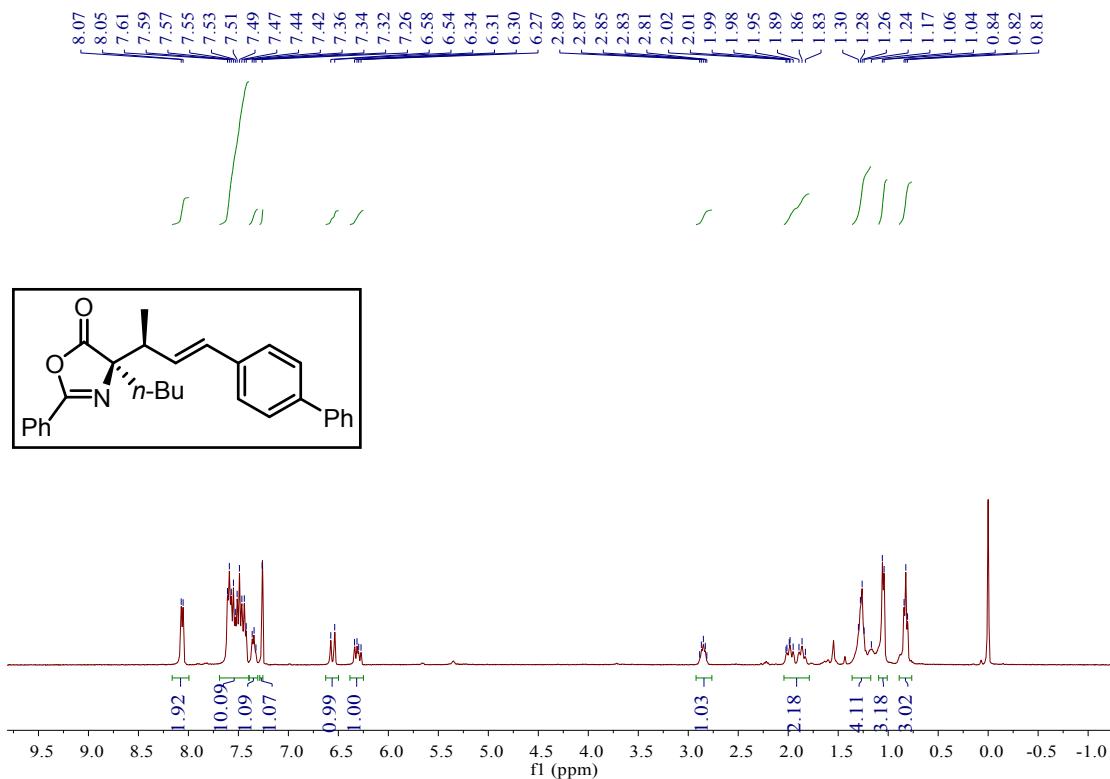
$^1\text{H}$  NMR Spectrum of Compound **3a** (400 MHz,  $\text{CDCl}_3$ )



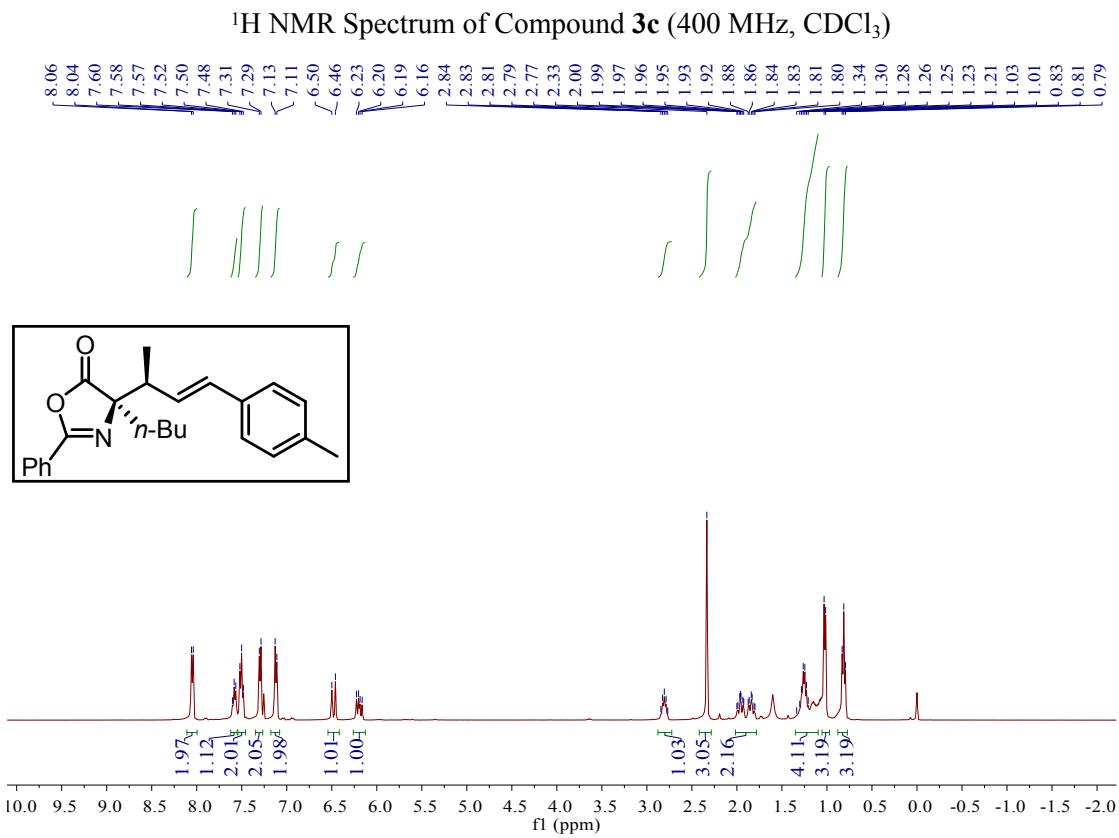
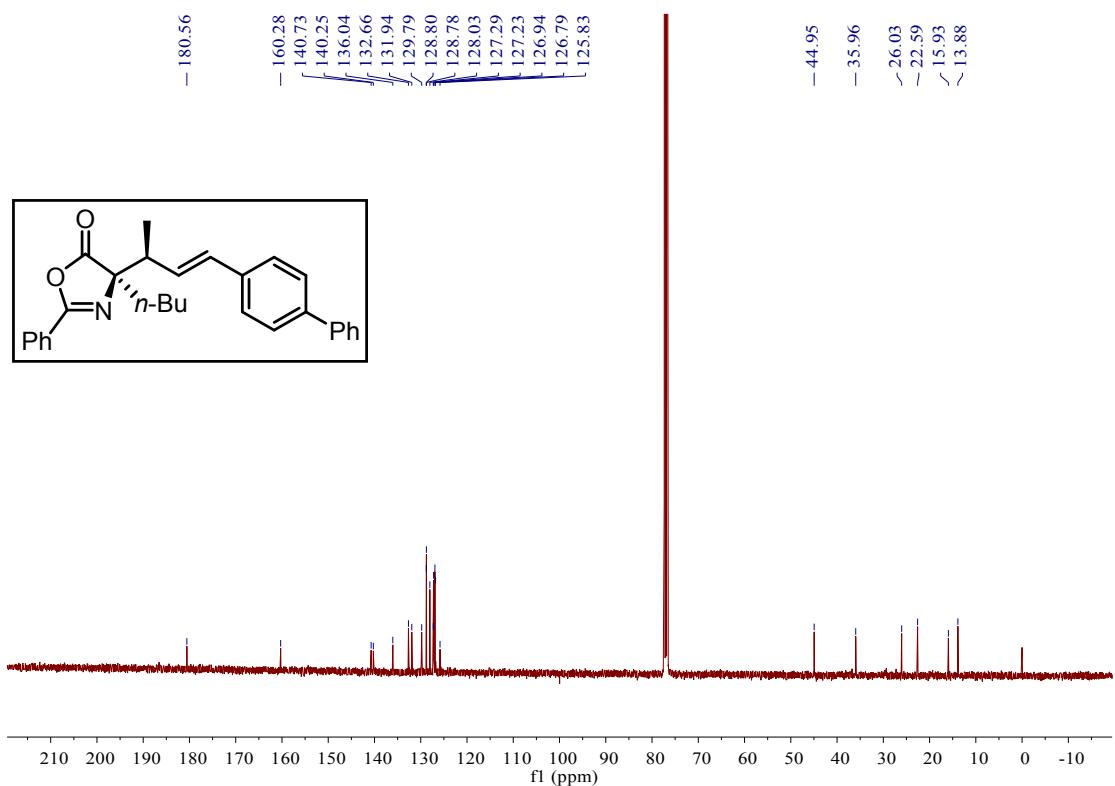
$^{13}\text{C}$  NMR Spectrum of Compound **3a** (101 MHz,  $\text{CDCl}_3$ )



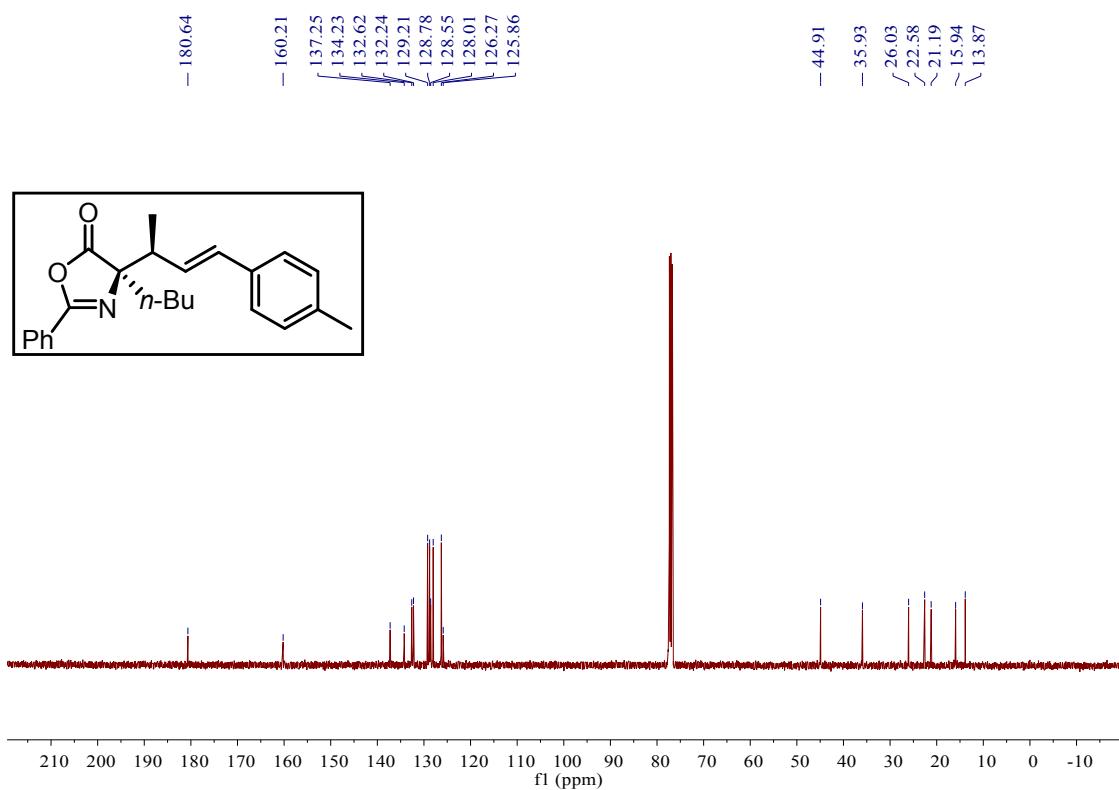
<sup>1</sup>H NMR Spectrum of Compound **3b** (400 MHz, CDCl<sub>3</sub>)



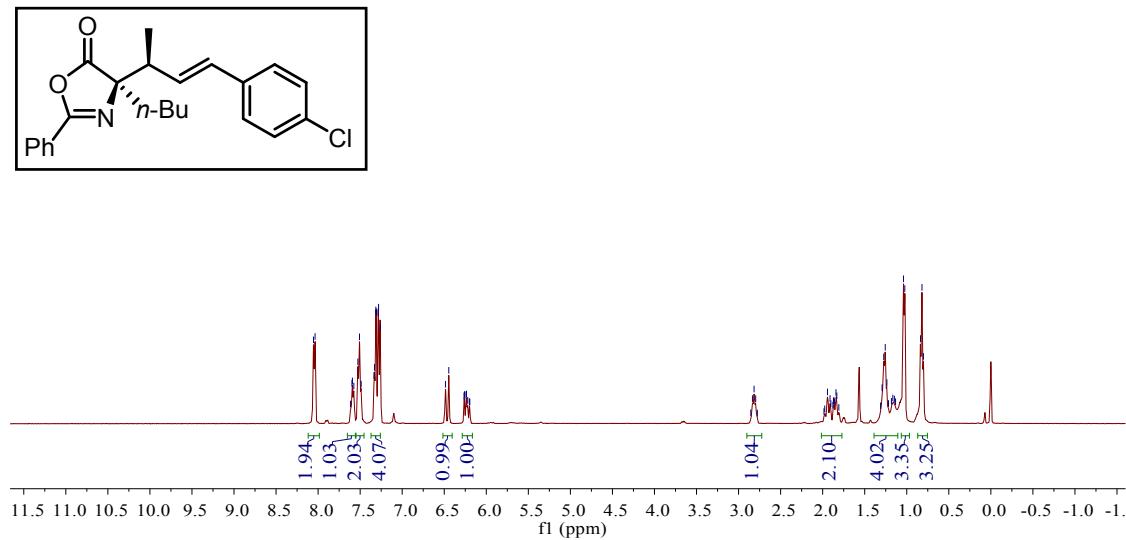
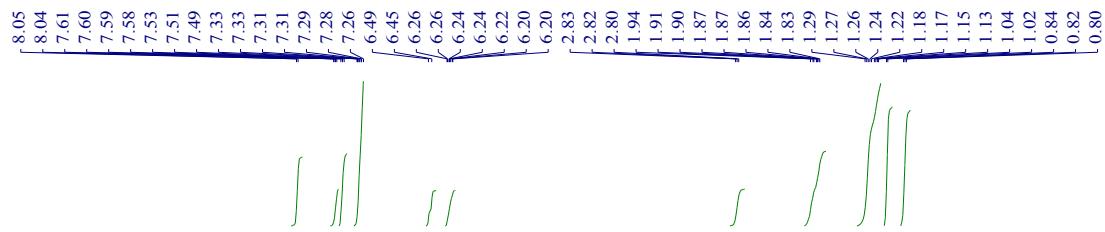
<sup>1</sup>H NMR Spectrum of Compound **3b** (400 MHz, CDCl<sub>3</sub>)



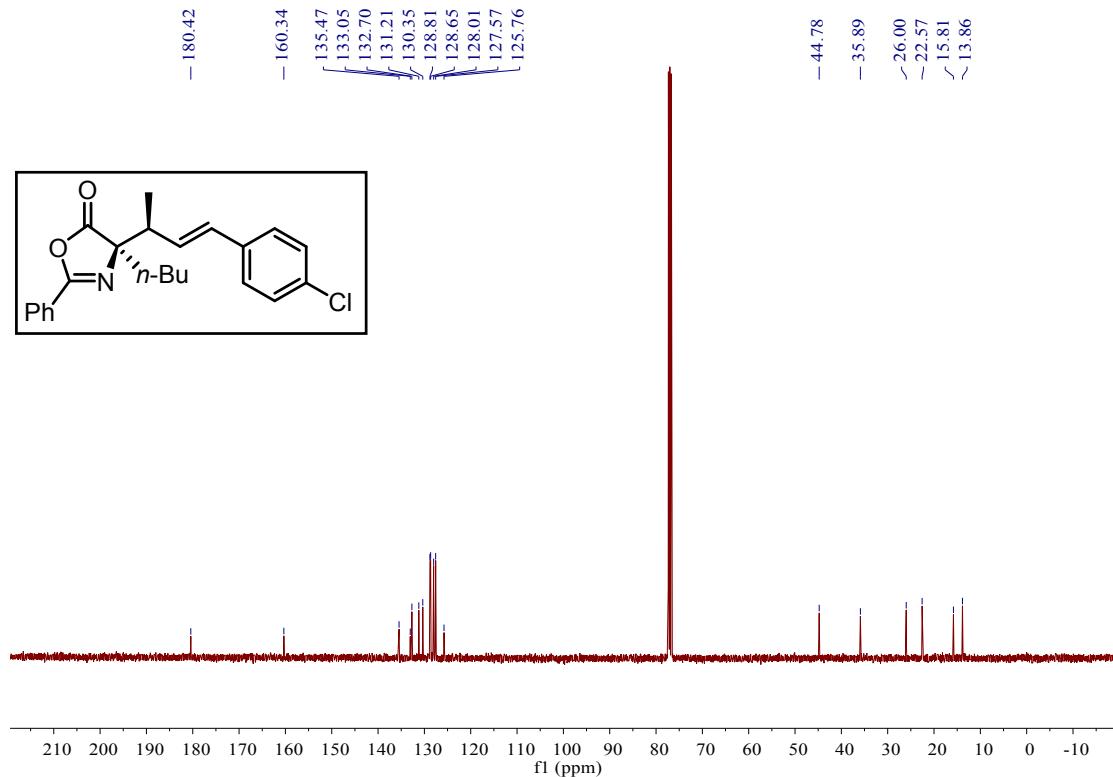
<sup>13</sup>C NMR Spectrum of Compound **3c** (101 MHz, CDCl<sub>3</sub>)



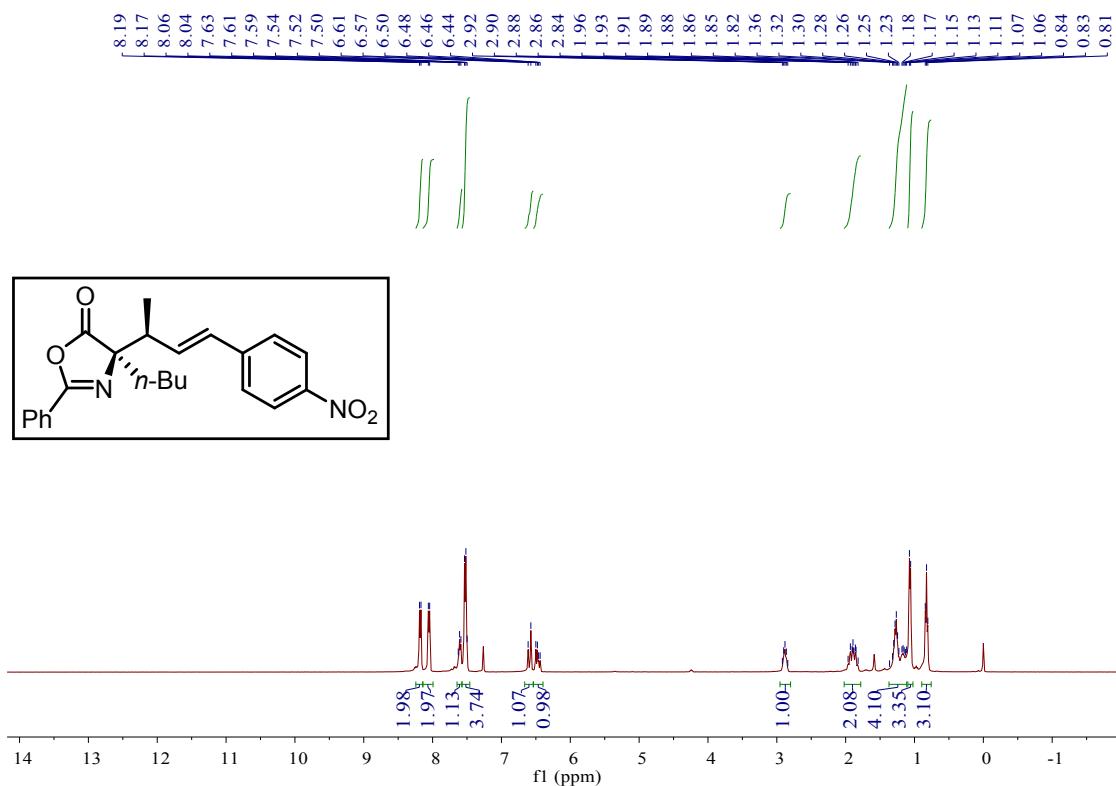
<sup>1</sup>H NMR Spectrum of Compound **3d** (400 MHz, CDCl<sub>3</sub>)



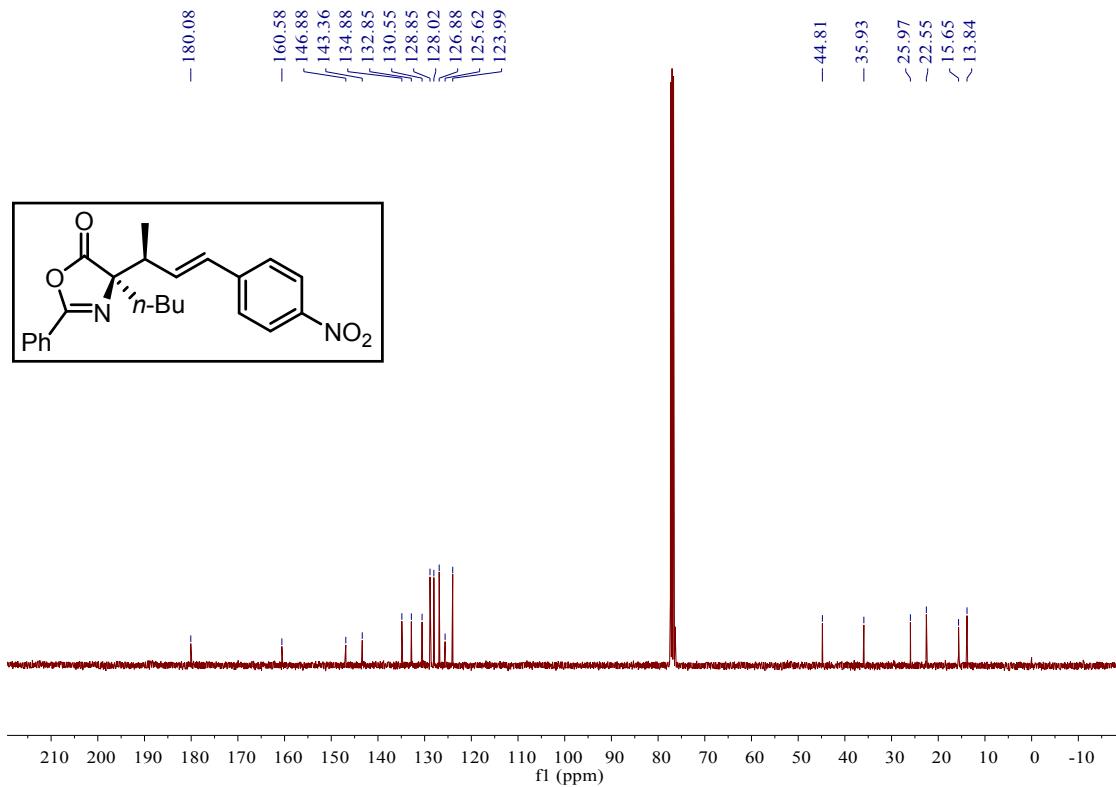
$^{13}\text{C}$  NMR Spectrum of Compound 3d (101 MHz, CDCl<sub>3</sub>)



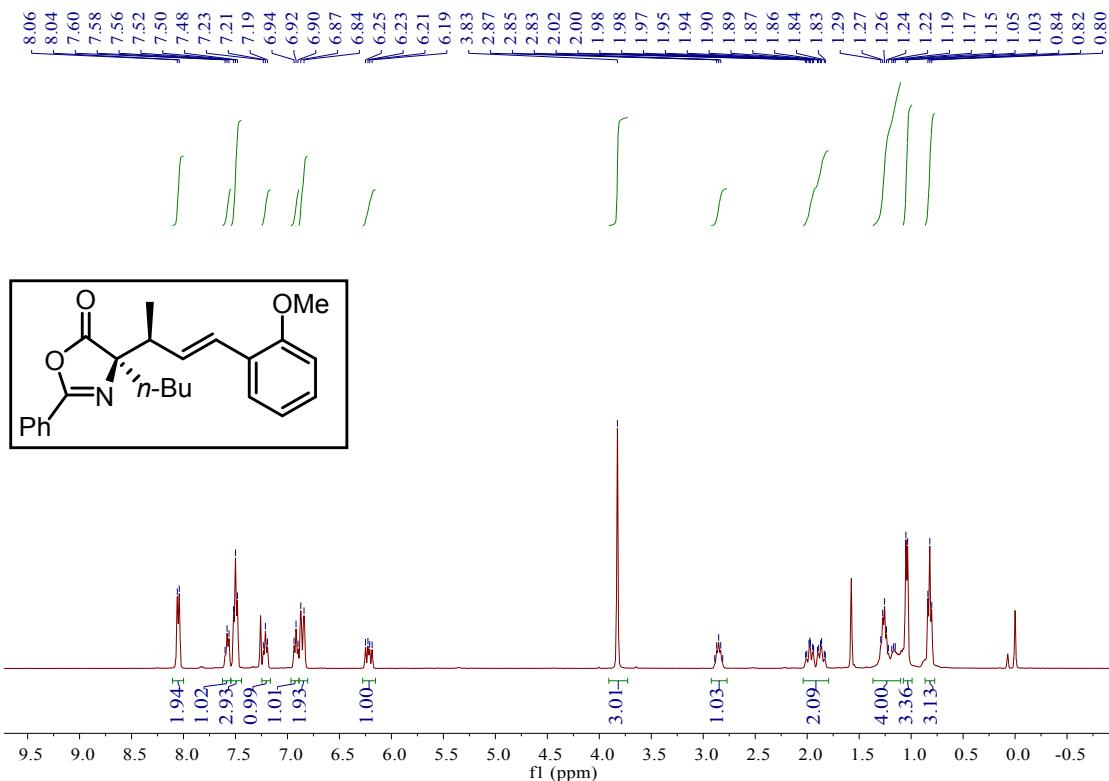
<sup>1</sup>H NMR Spectrum of Compound 3e (400 MHz, CDCl<sub>3</sub>)



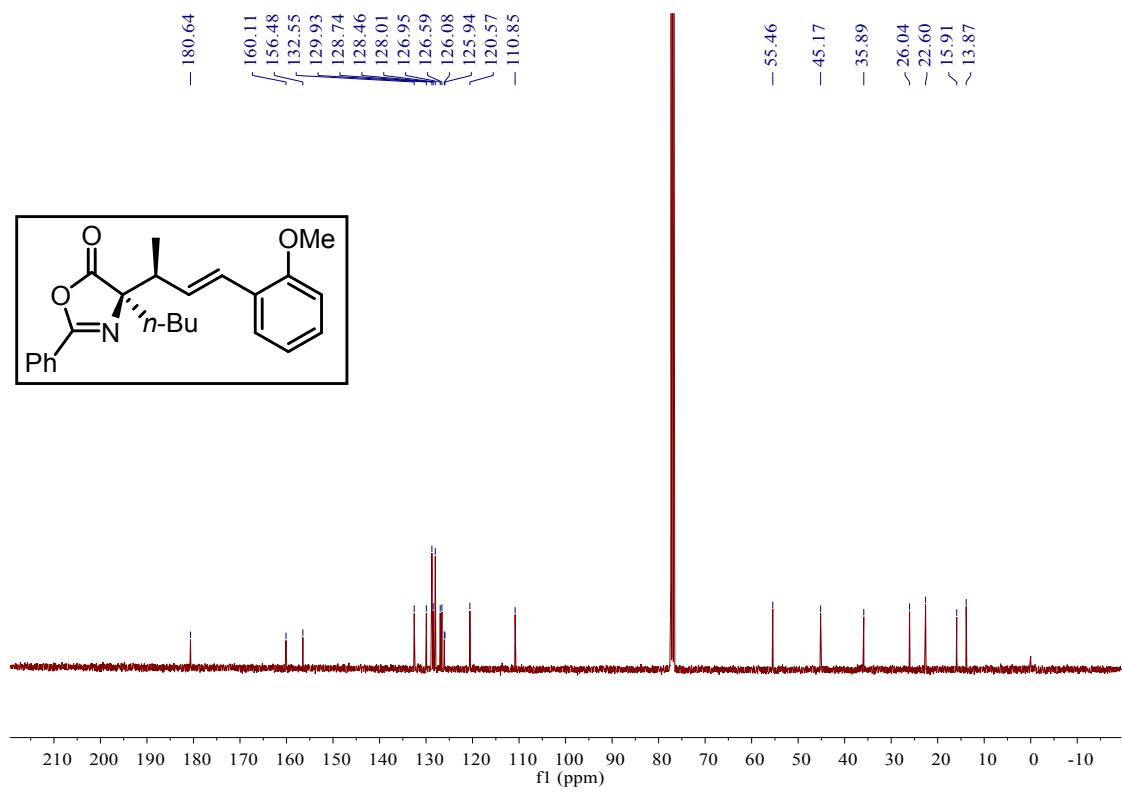
<sup>13</sup>C NMR Spectrum of Compound 3e (101 MHz, CDCl<sub>3</sub>)



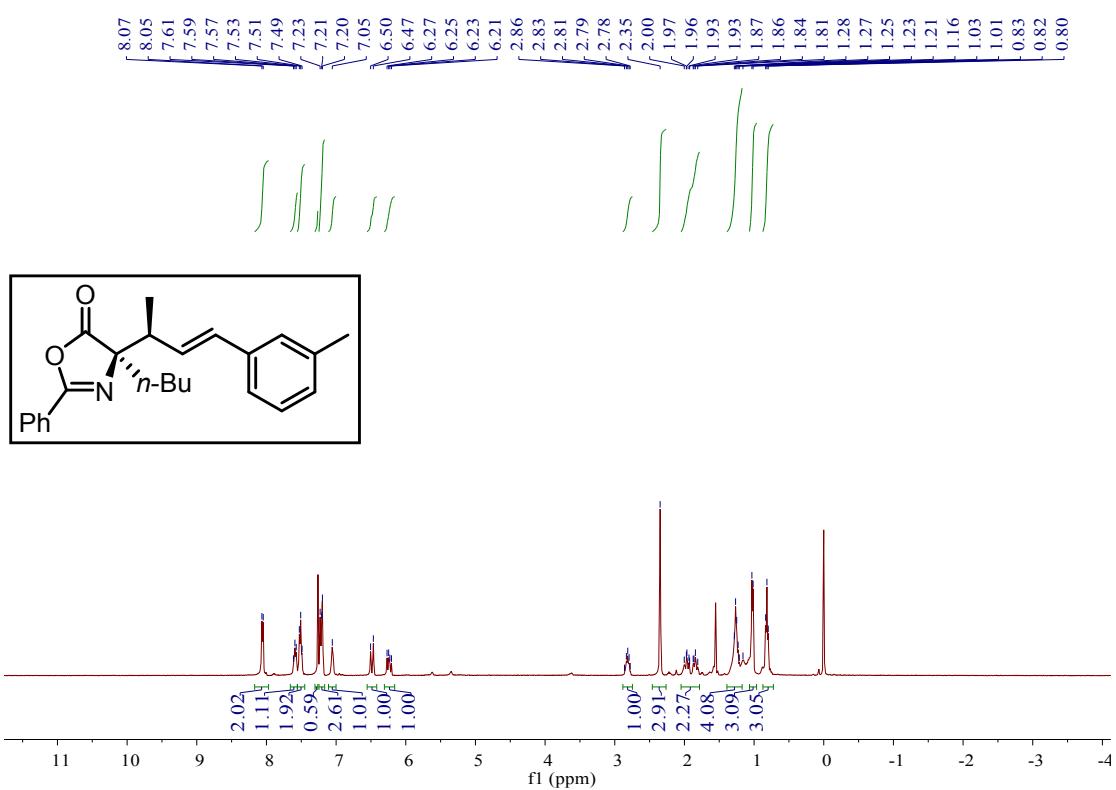
<sup>1</sup>H NMR Spectrum of Compound **3f** (400 MHz, CDCl<sub>3</sub>)



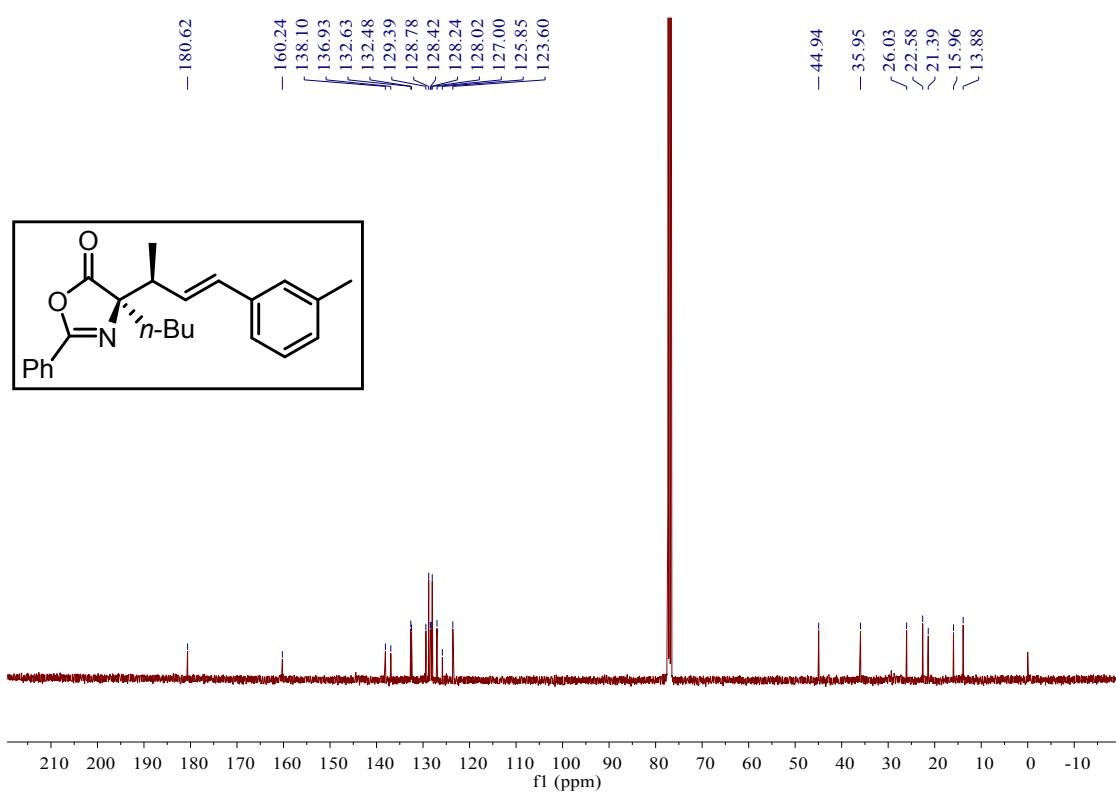
<sup>13</sup>C NMR Spectrum of Compound **3f** (101 MHz, CDCl<sub>3</sub>)



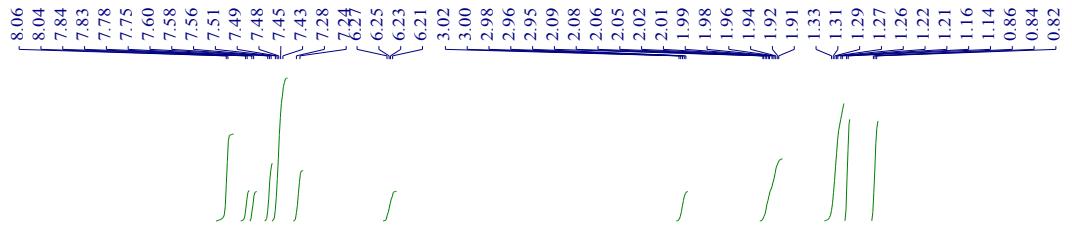
<sup>1</sup>H NMR Spectrum of Compound **3g** (400 MHz, CDCl<sub>3</sub>)



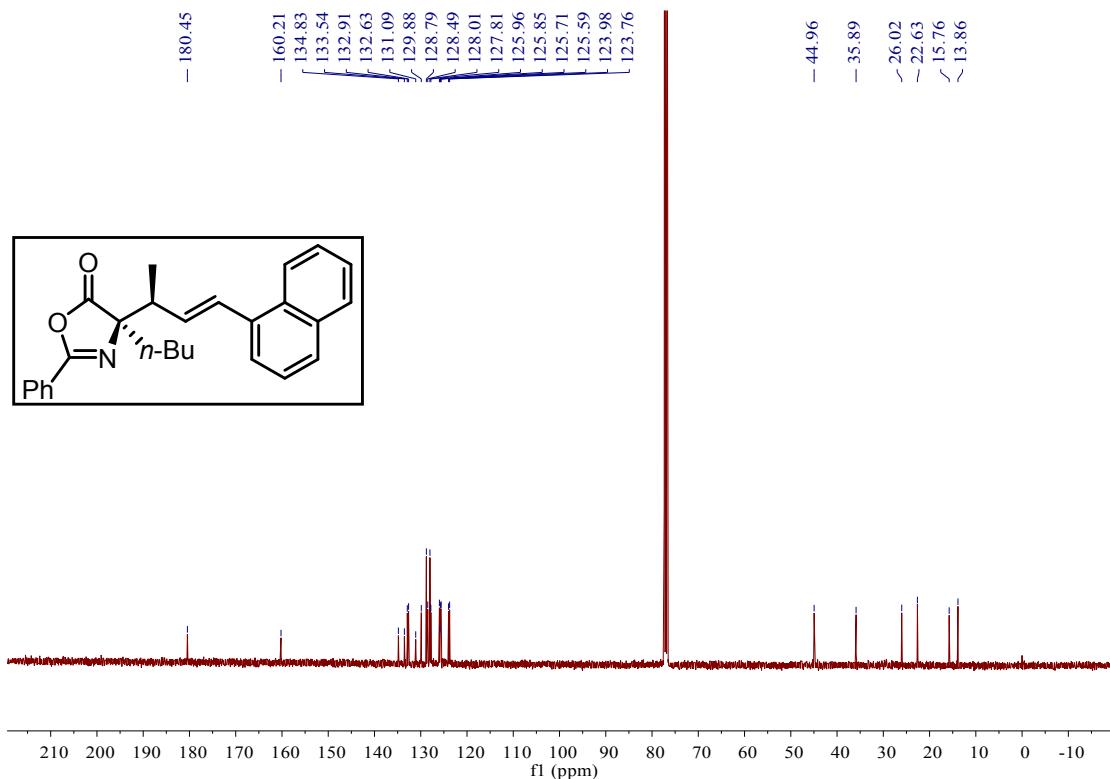
<sup>13</sup>C NMR Spectrum of Compound **3g** (101 MHz, CDCl<sub>3</sub>)



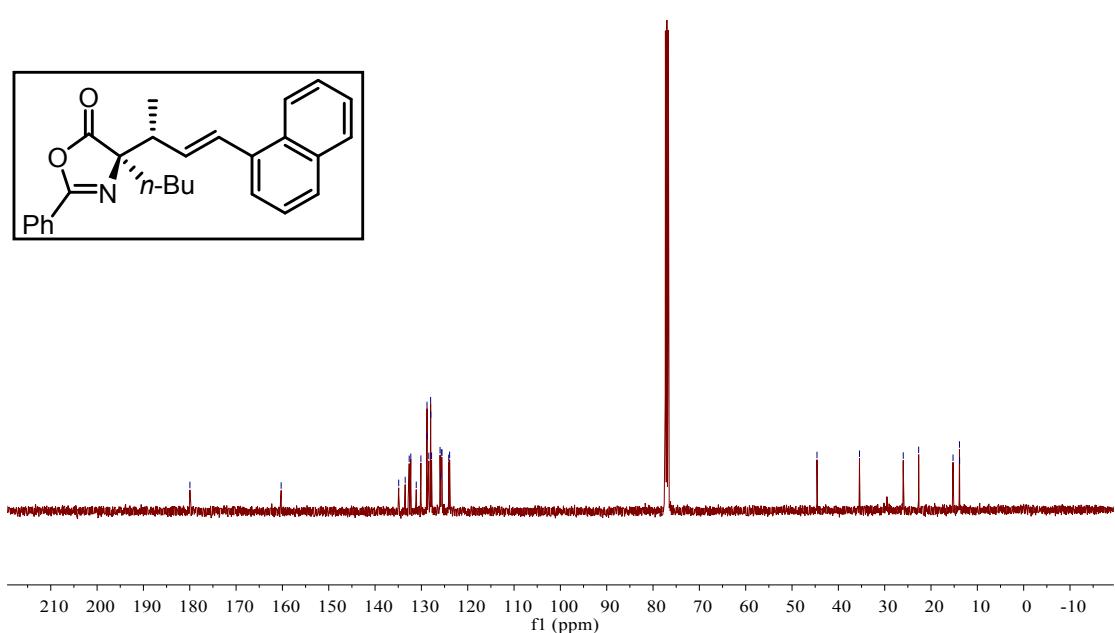
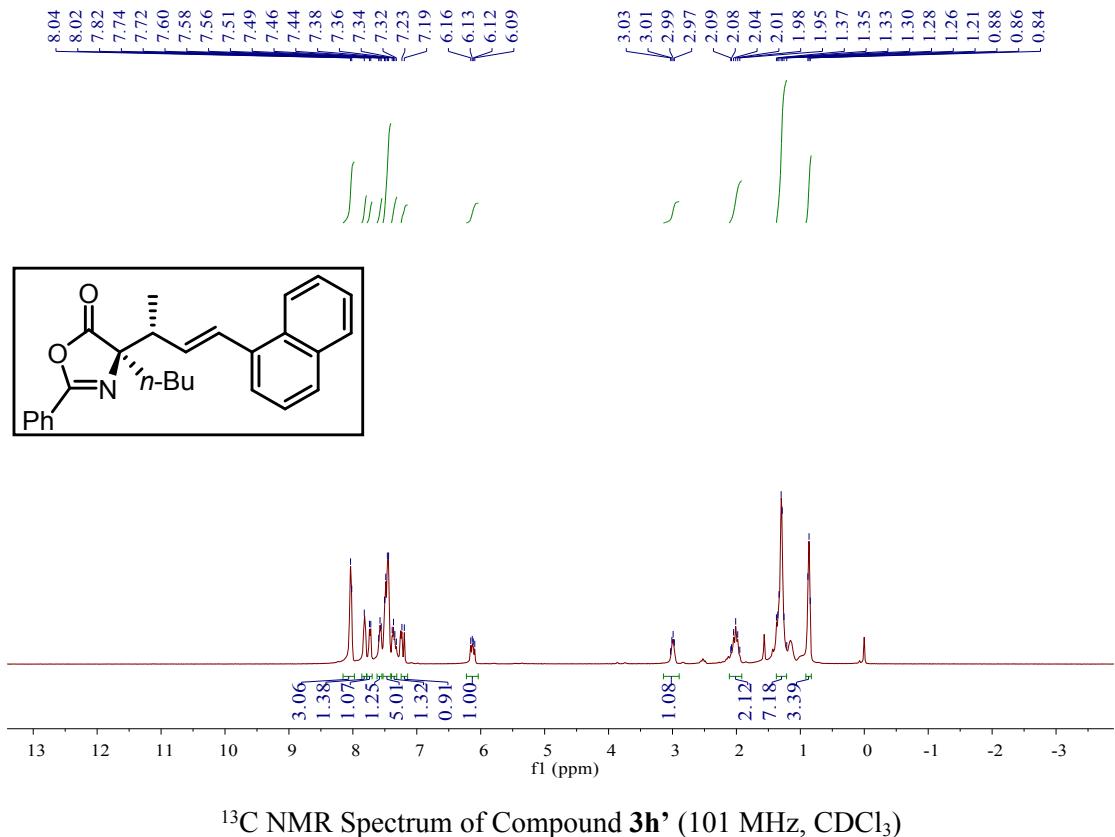
<sup>1</sup>H NMR Spectrum of Compound **3h** (400 MHz, CDCl<sub>3</sub>)



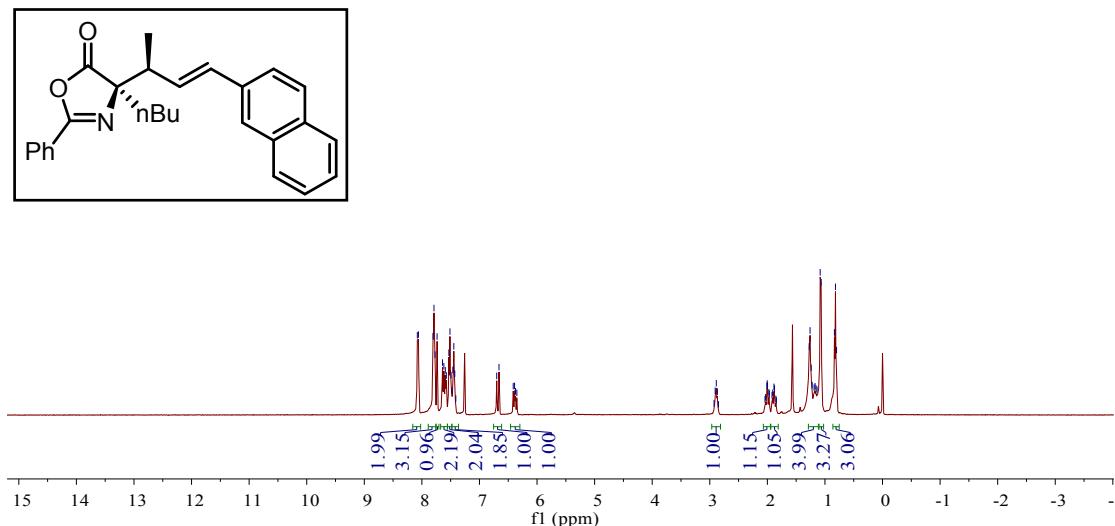
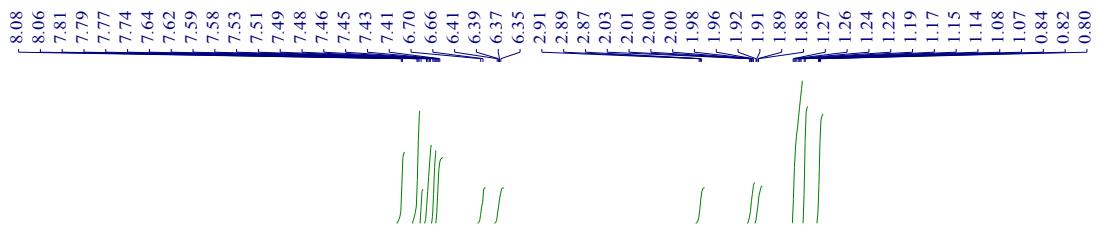
$^{13}\text{C}$  NMR Spectrum of Compound 3h (101 MHz,  $\text{CDCl}_3$ )



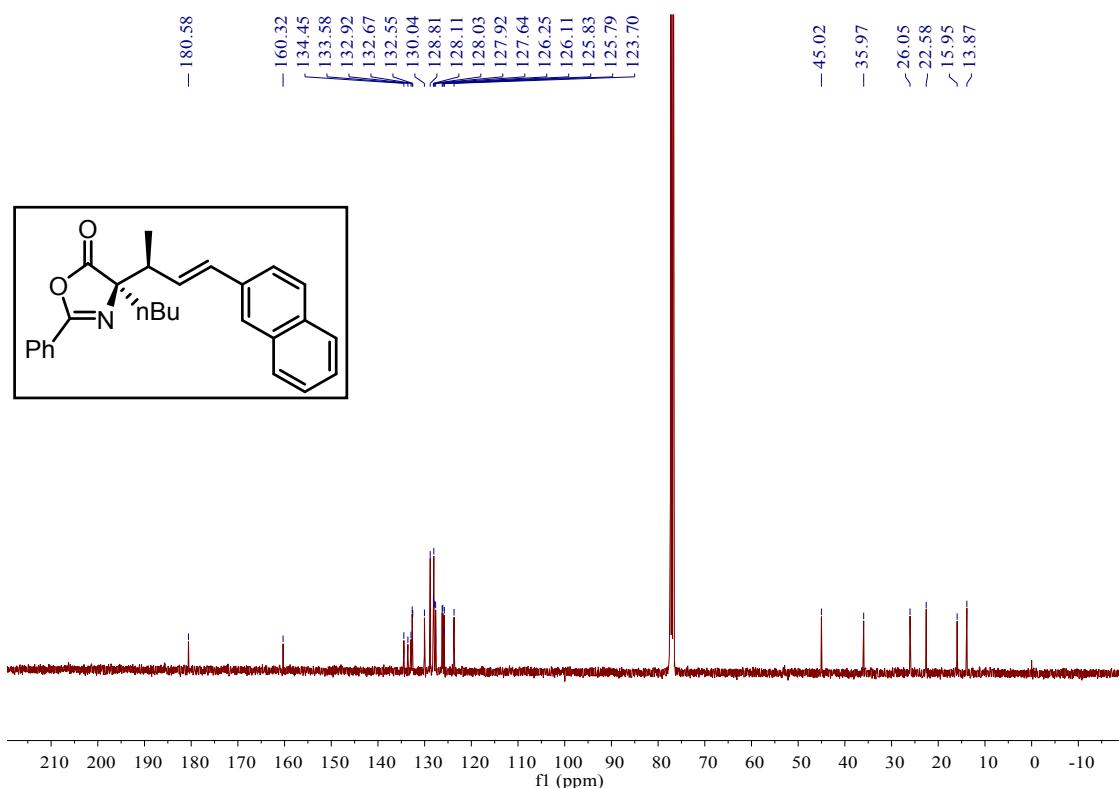
$^1\text{H}$  NMR Spectrum of Compound 3h' (400 MHz,  $\text{CDCl}_3$ )



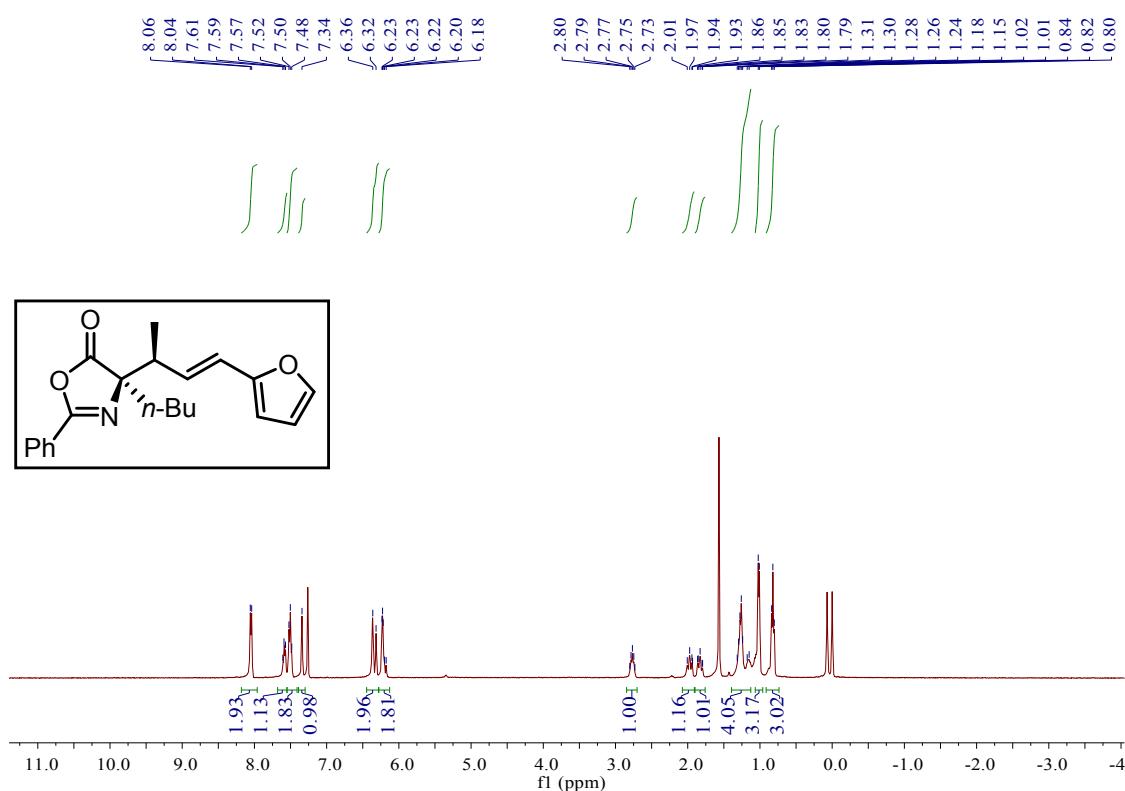
<sup>1</sup>H NMR Spectrum of Compound 3i (400 MHz, CDCl<sub>3</sub>)



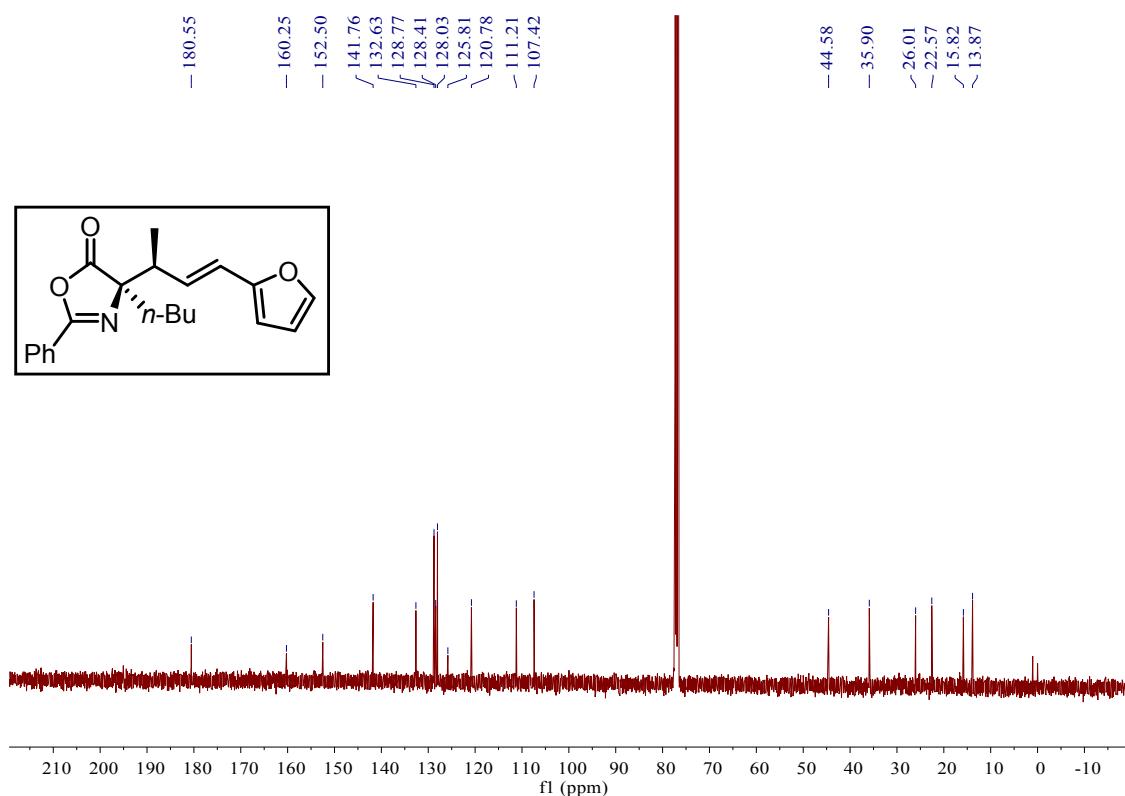
<sup>13</sup>C NMR Spectrum of Compound 3i (101 MHz, CDCl<sub>3</sub>)



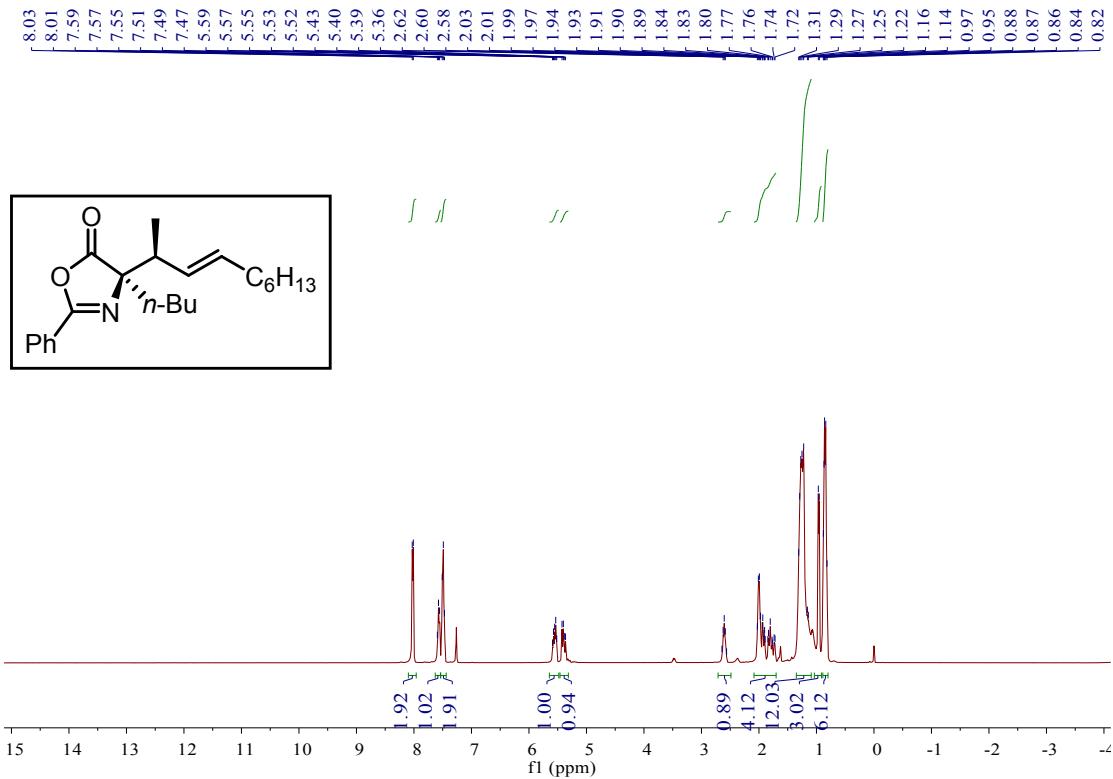
<sup>1</sup>H NMR Spectrum of Compound 3j (400 MHz, CDCl<sub>3</sub>)



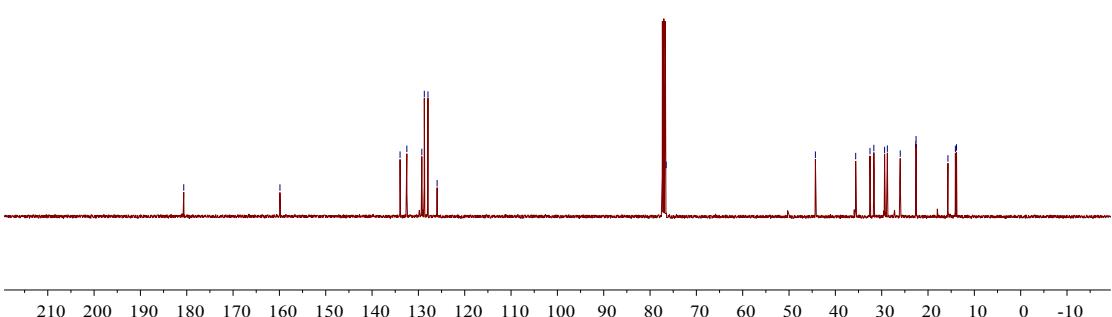
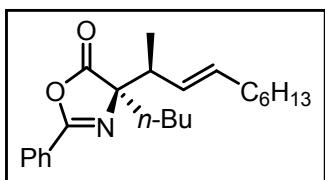
<sup>13</sup>C NMR Spectrum of Compound 3j (101 MHz, CDCl<sub>3</sub>)



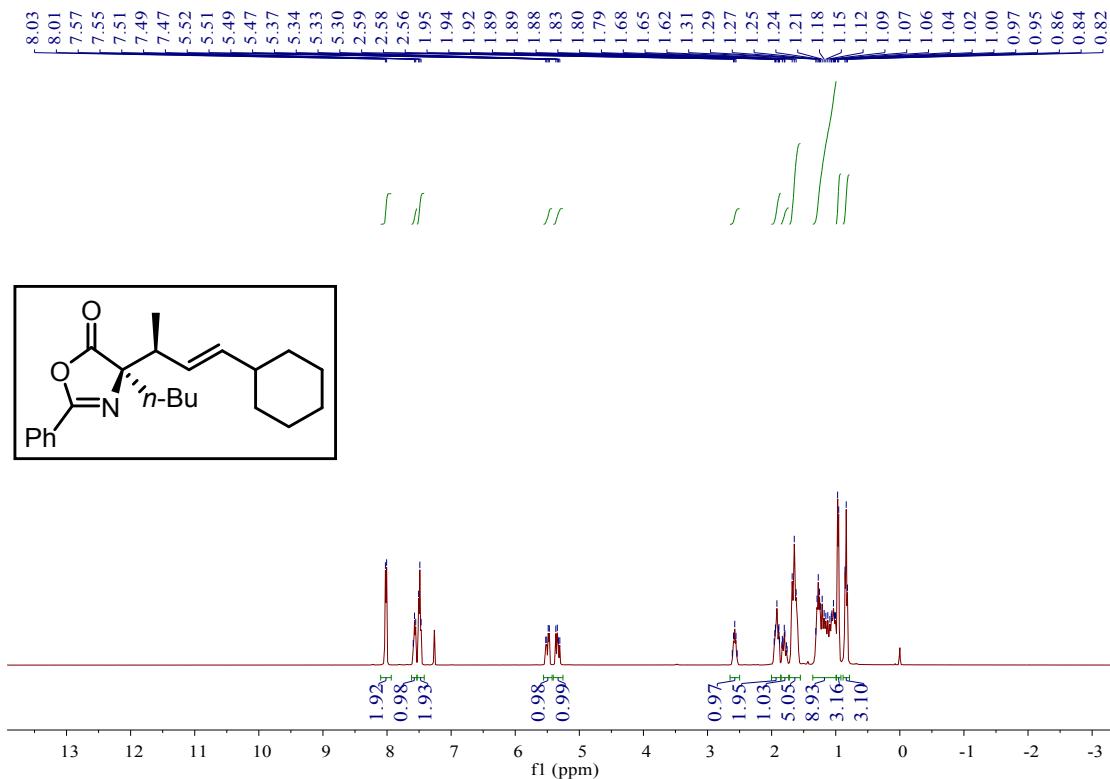
<sup>1</sup>H NMR Spectrum of Compound **3k** (400 MHz, CDCl<sub>3</sub>)



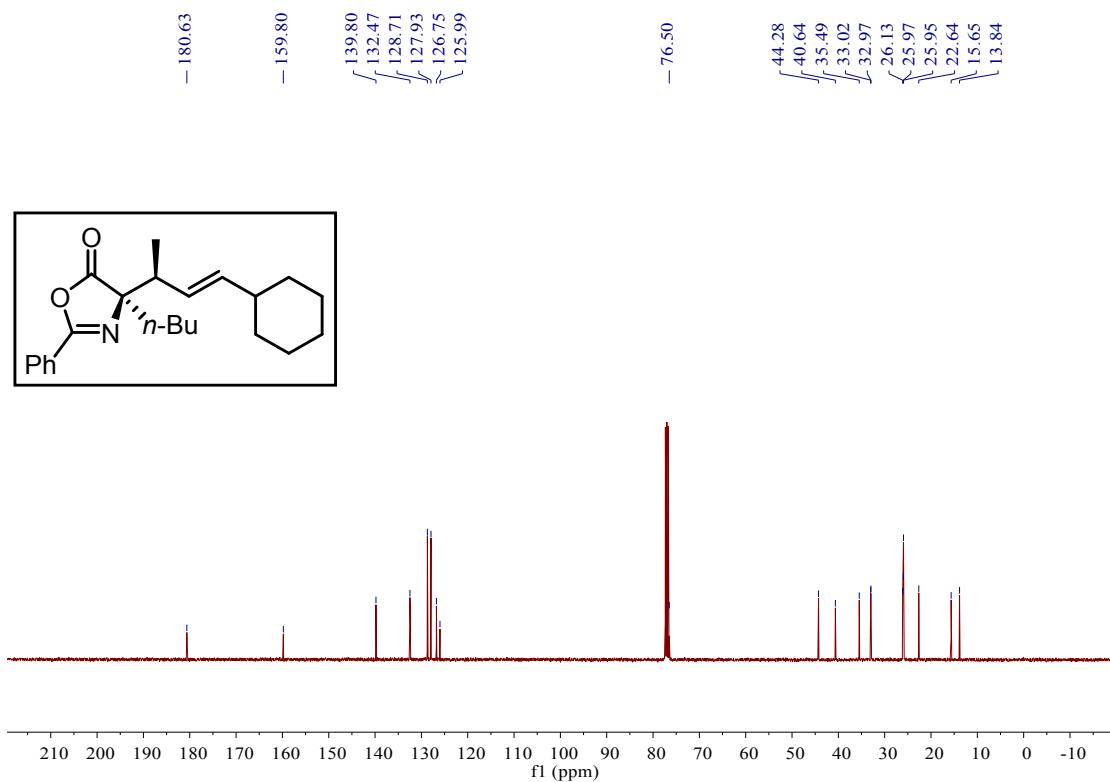
<sup>13</sup>C NMR Spectrum of Compound **3k** (101 MHz, CDCl<sub>3</sub>)



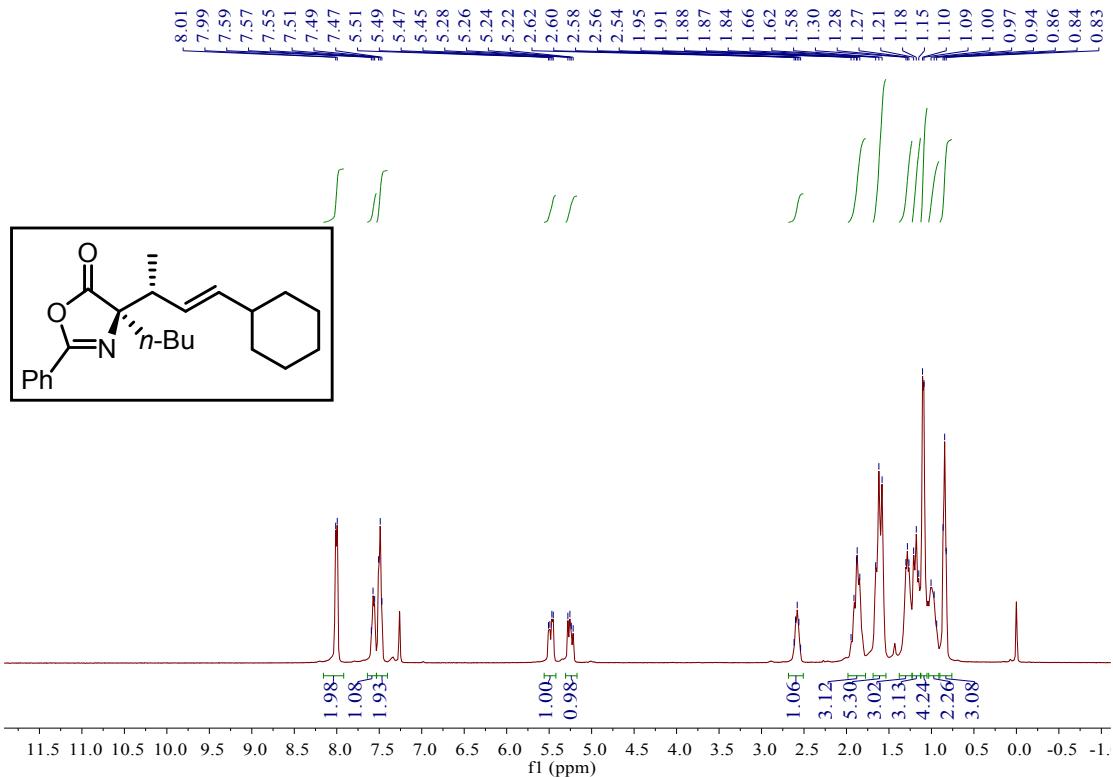
<sup>1</sup>H NMR Spectrum of Compound 3l (400 MHz, CDCl<sub>3</sub>)



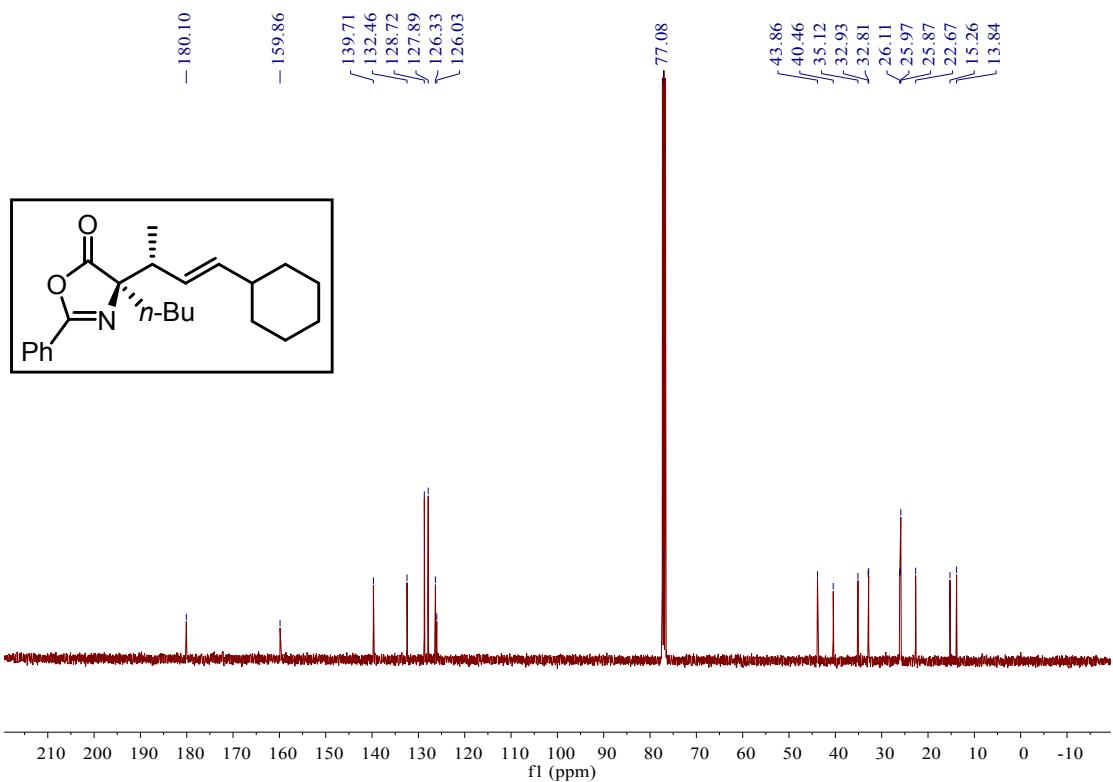
<sup>13</sup>C NMR Spectrum of Compound 3l (101 MHz, CDCl<sub>3</sub>)



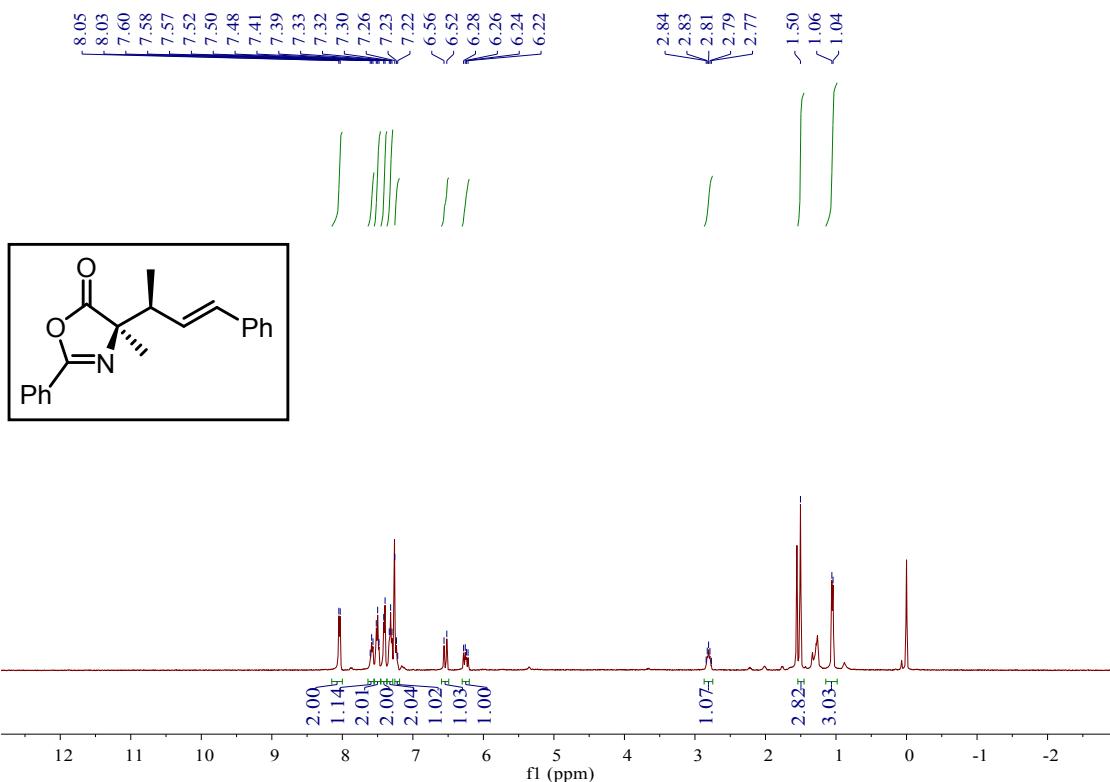
<sup>1</sup>H NMR Spectrum of Compound 3I' (400 MHz, CDCl<sub>3</sub>)



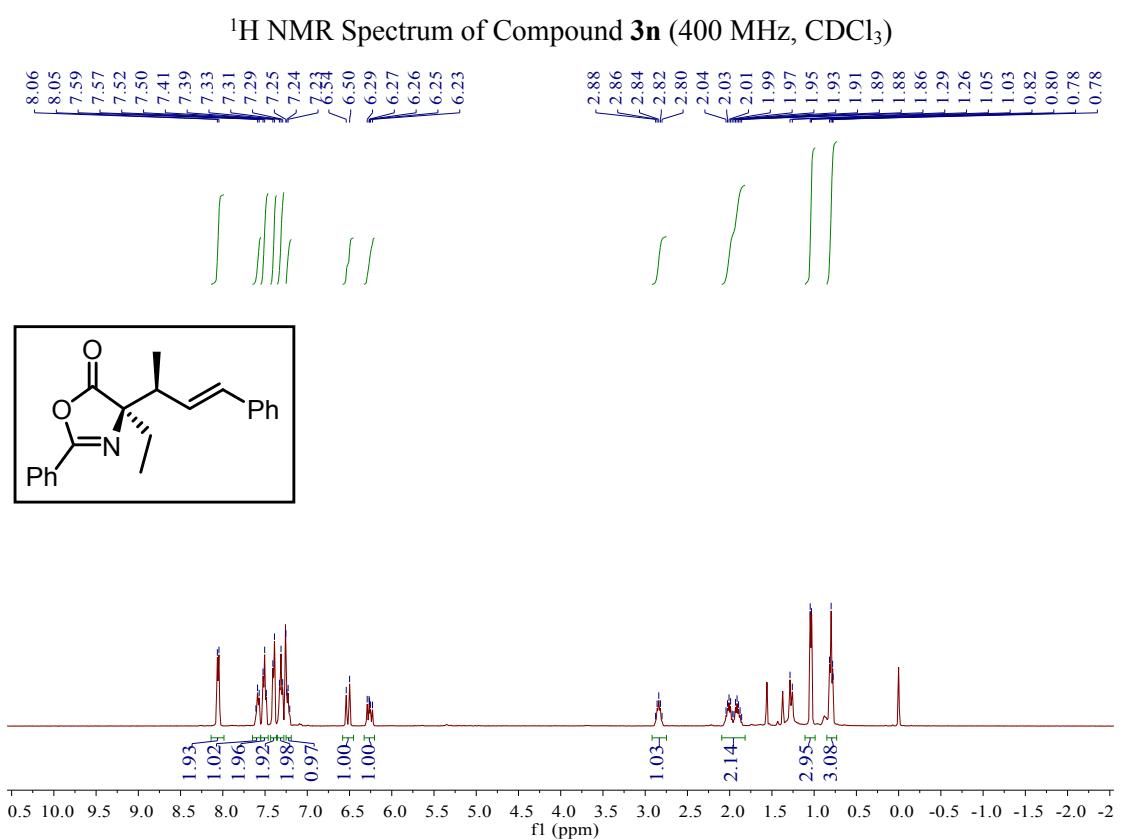
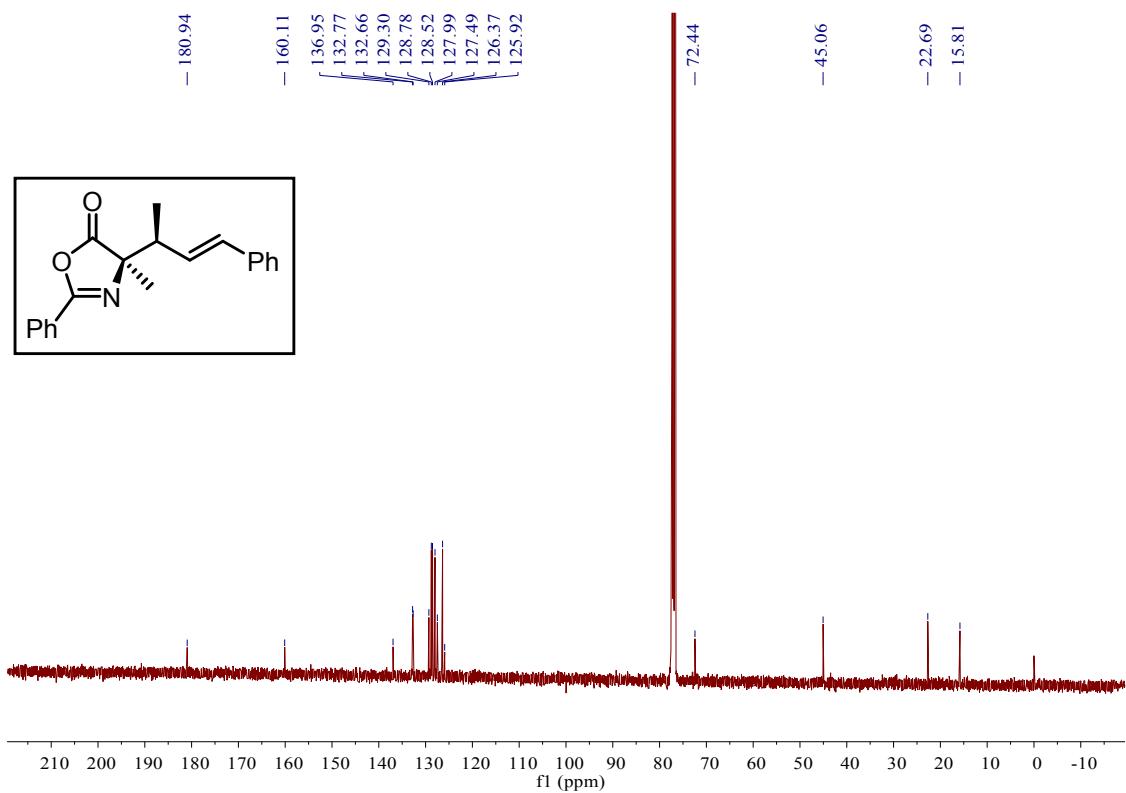
<sup>13</sup>C NMR Spectrum of Compound 3I' (101 MHz, CDCl<sub>3</sub>)



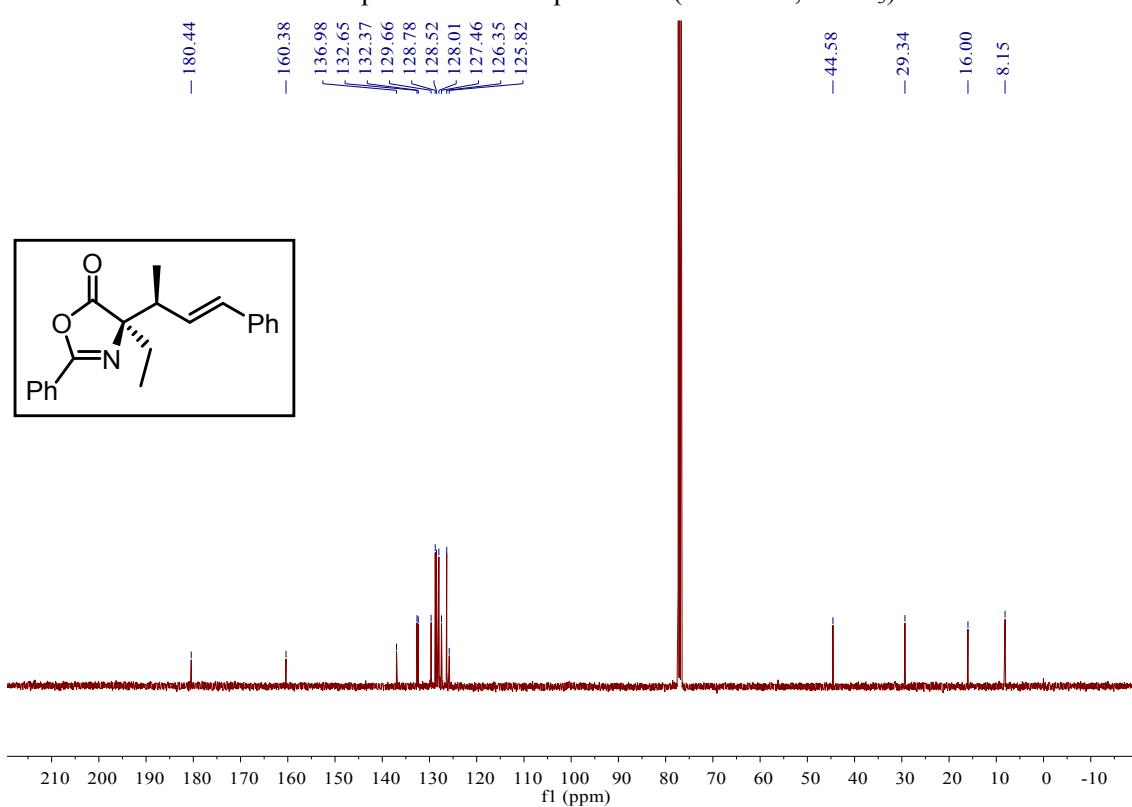
<sup>1</sup>H NMR Spectrum of Compound **3m** (400 MHz, CDCl<sub>3</sub>)



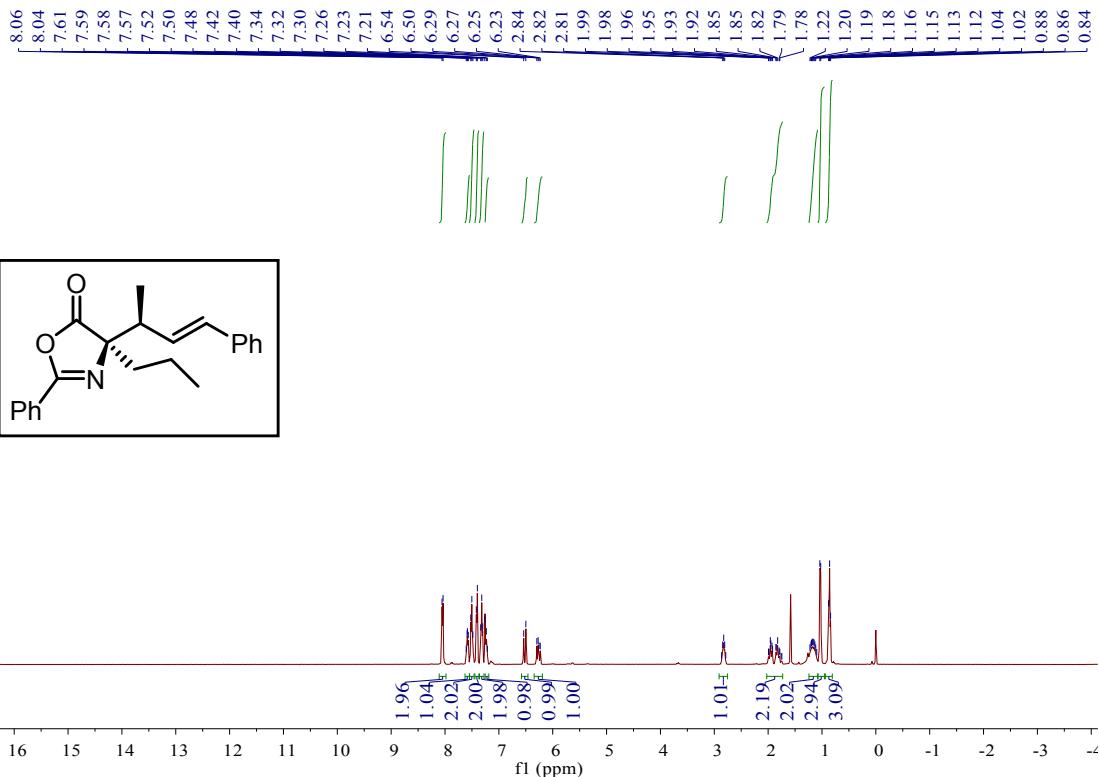
<sup>13</sup>C NMR Spectrum of Compound **3m** (101 MHz, CDCl<sub>3</sub>)



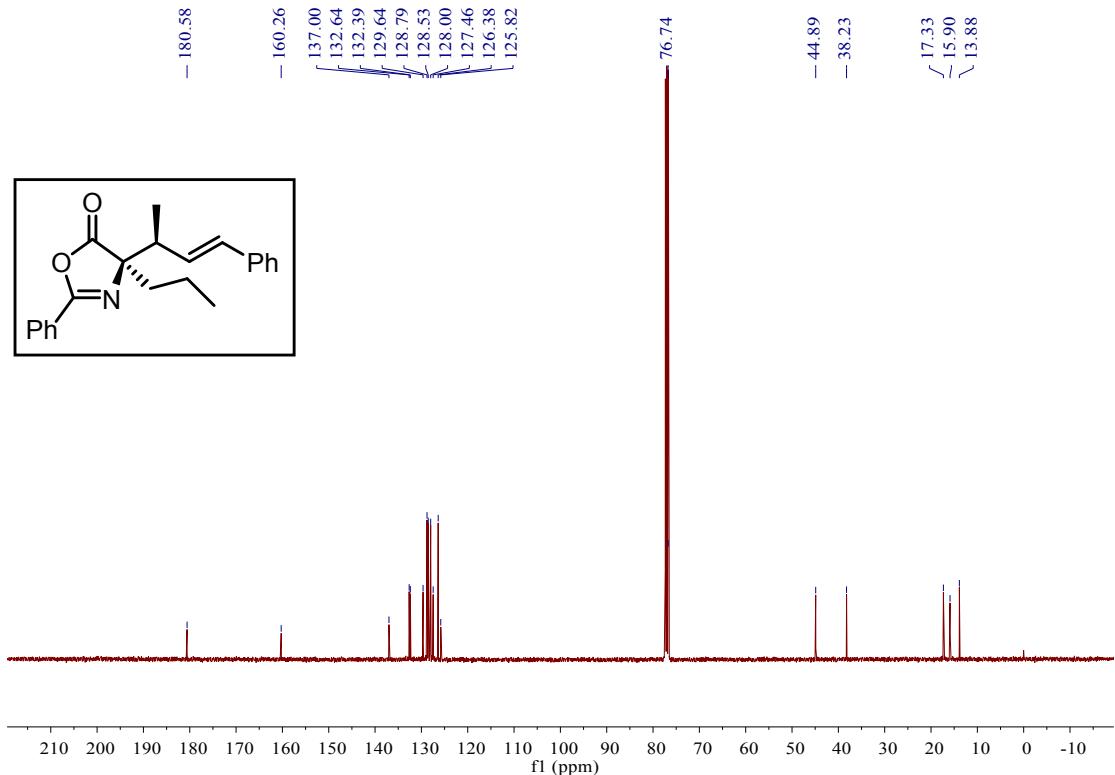
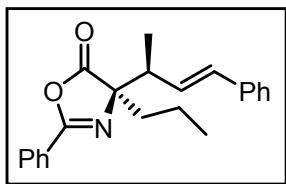
<sup>13</sup>C NMR Spectrum of Compound **3n** (101 MHz, CDCl<sub>3</sub>)



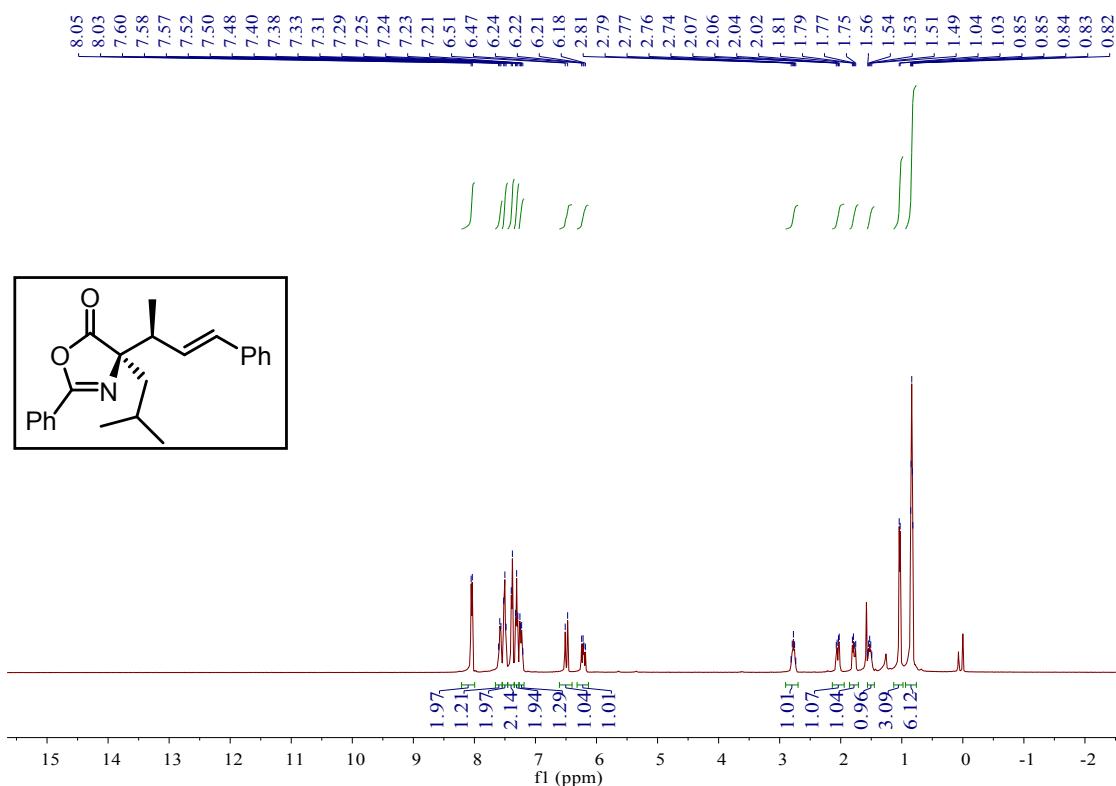
<sup>1</sup>H NMR Spectrum of Compound **3o** (400 MHz, CDCl<sub>3</sub>)



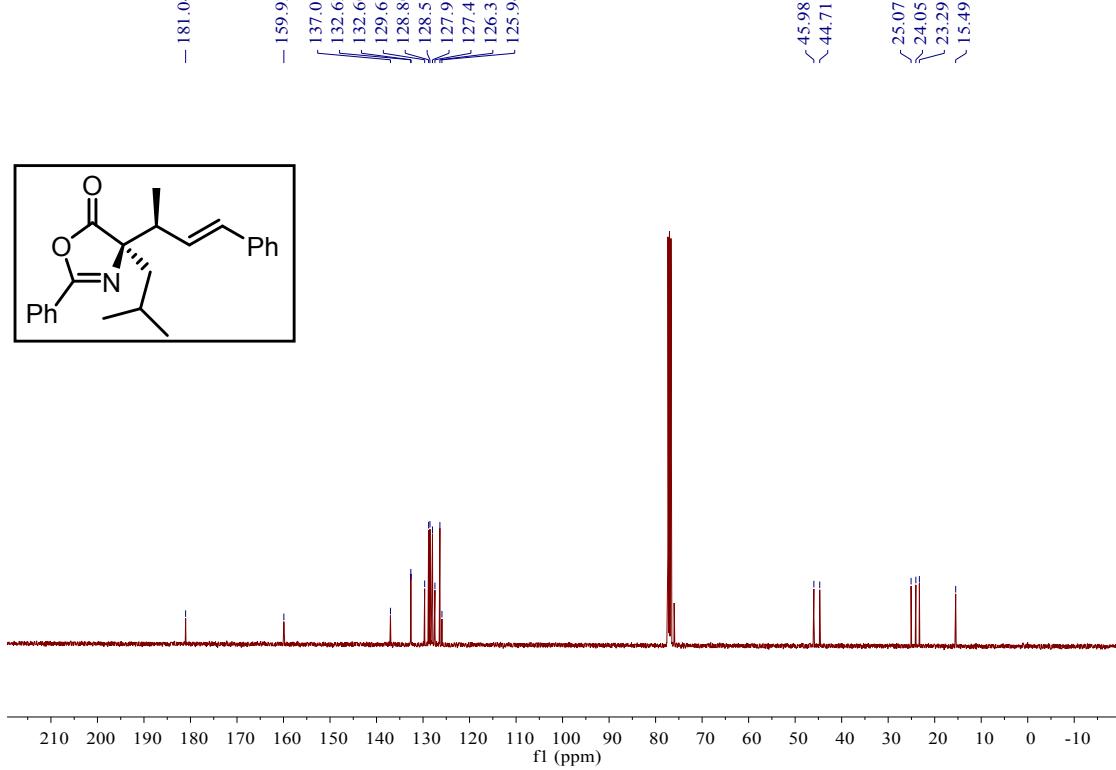
<sup>13</sup>C NMR Spectrum of Compound **3o** (101 MHz, CDCl<sub>3</sub>)



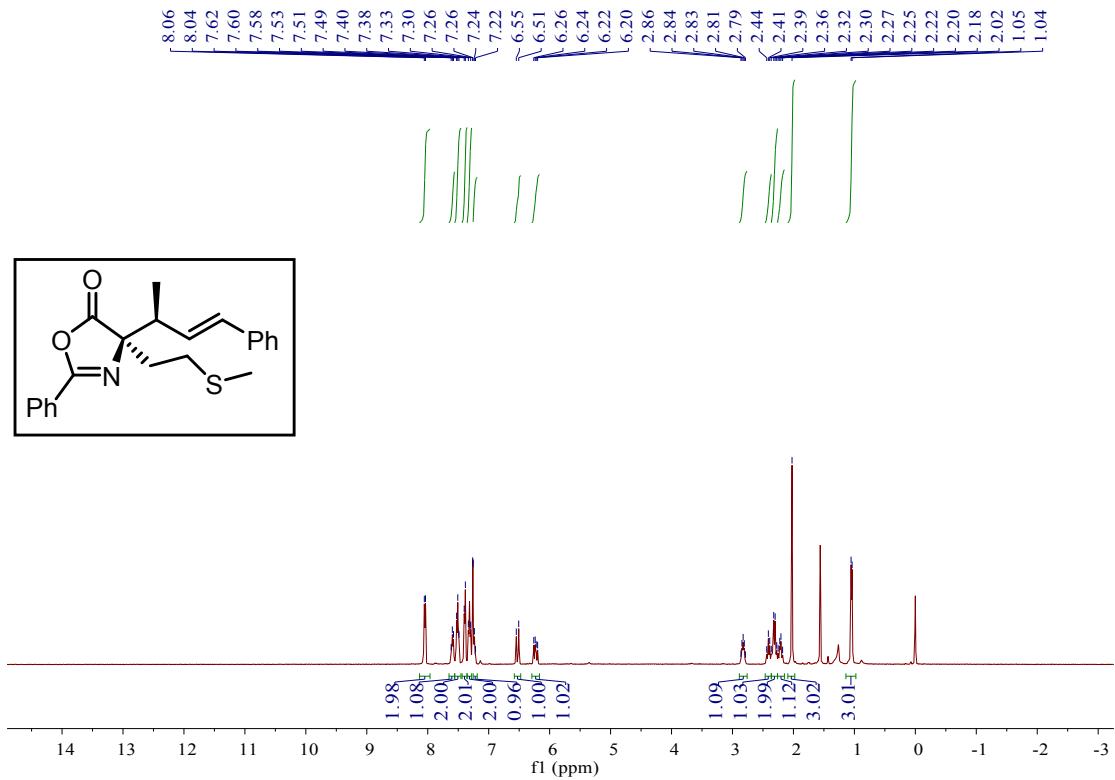
<sup>1</sup>H NMR Spectrum of Compound **3p** (400 MHz, CDCl<sub>3</sub>)



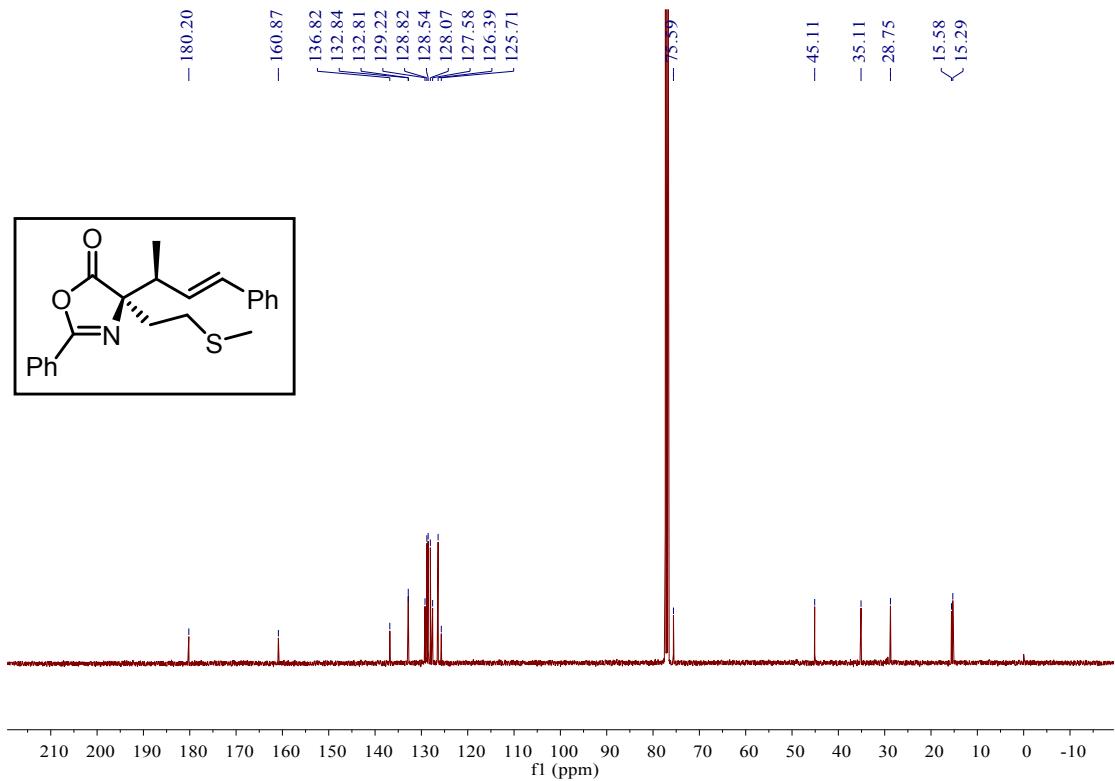
<sup>13</sup>C NMR Spectrum of Compound **3p** (101 MHz, CDCl<sub>3</sub>)



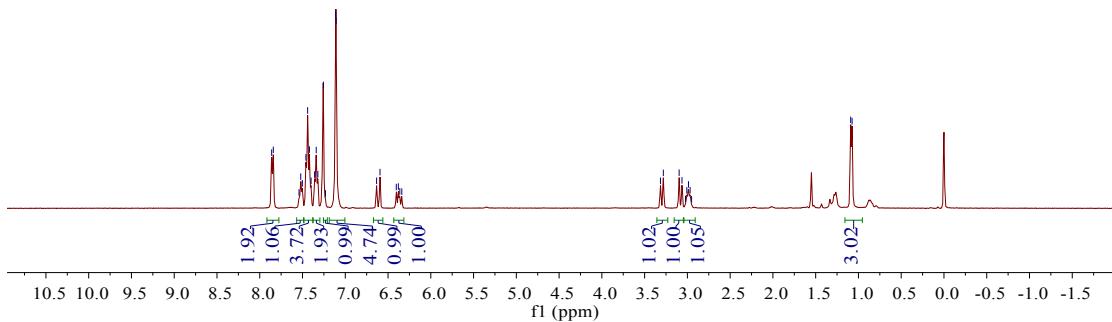
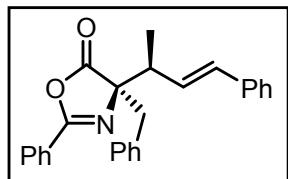
<sup>1</sup>H NMR Spectrum of Compound 3q (400 MHz, CDCl<sub>3</sub>)



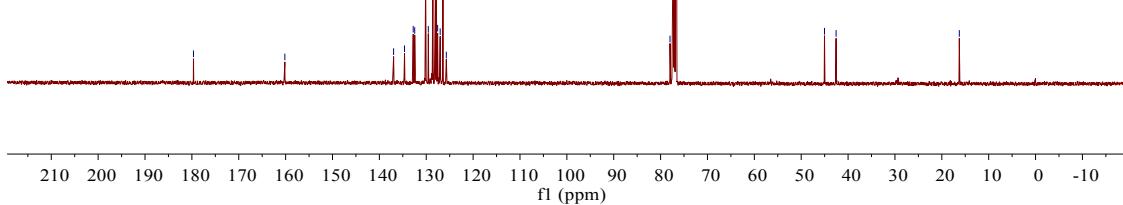
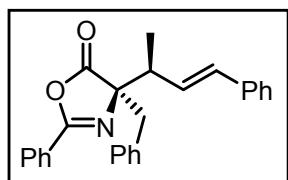
<sup>13</sup>C NMR Spectrum of Compound 3q (101 MHz, CDCl<sub>3</sub>)



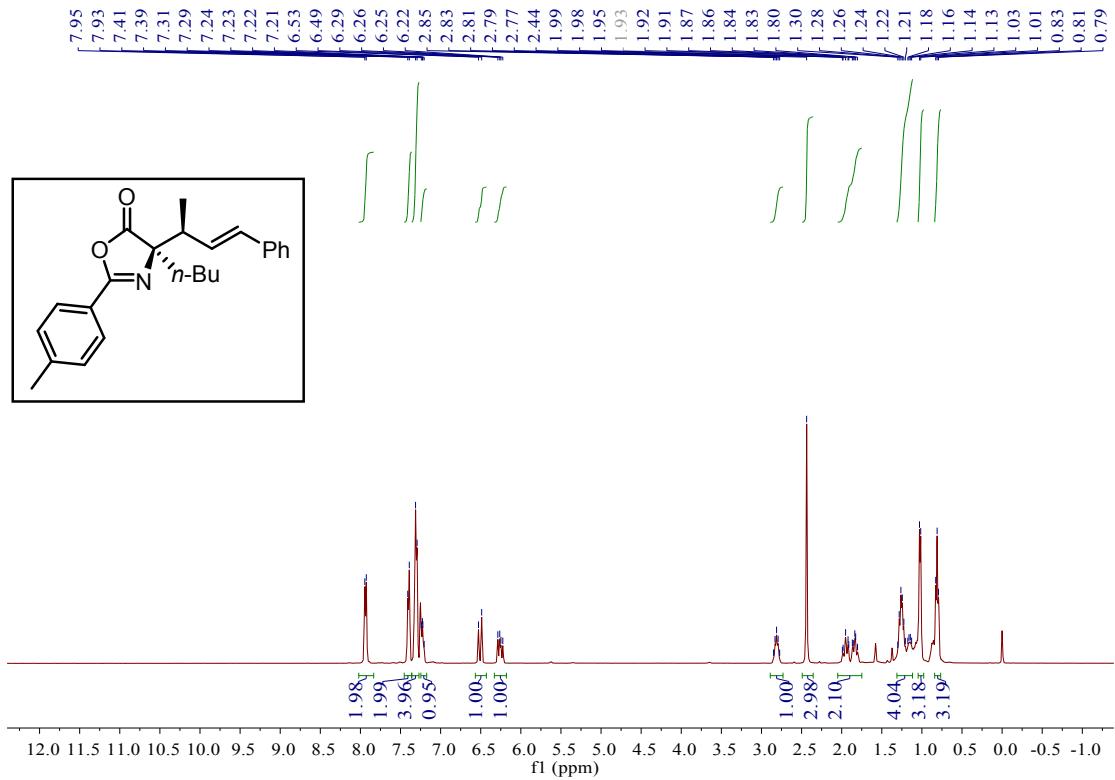
<sup>1</sup>H NMR Spectrum of Compound **3r** (400 MHz, CDCl<sub>3</sub>)



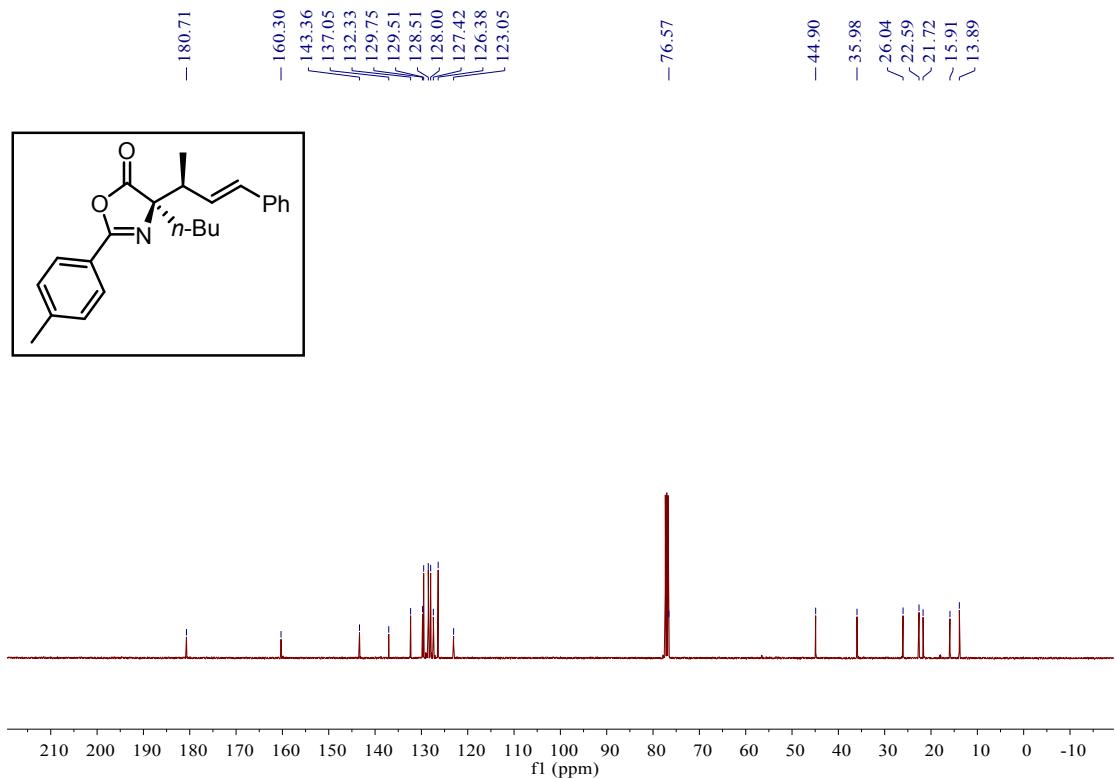
<sup>13</sup>C NMR Spectrum of Compound **3r** (101 MHz, CDCl<sub>3</sub>)



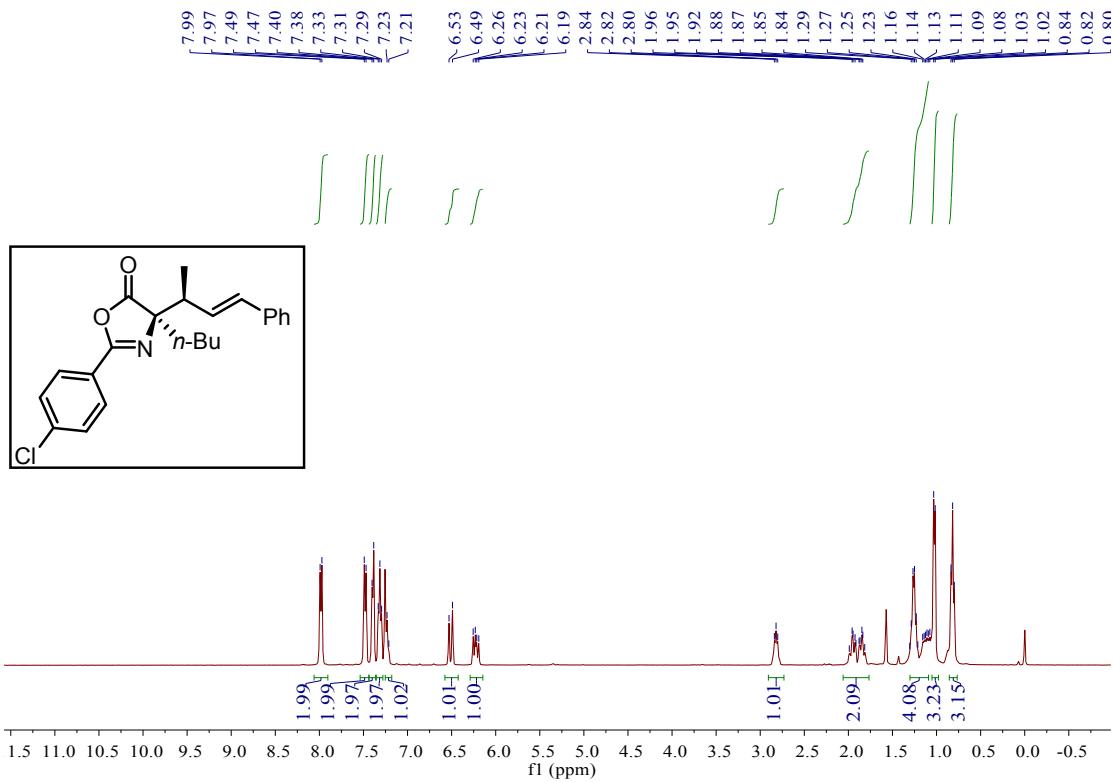
<sup>1</sup>H NMR Spectrum of Compound 3s (400 MHz, CDCl<sub>3</sub>)



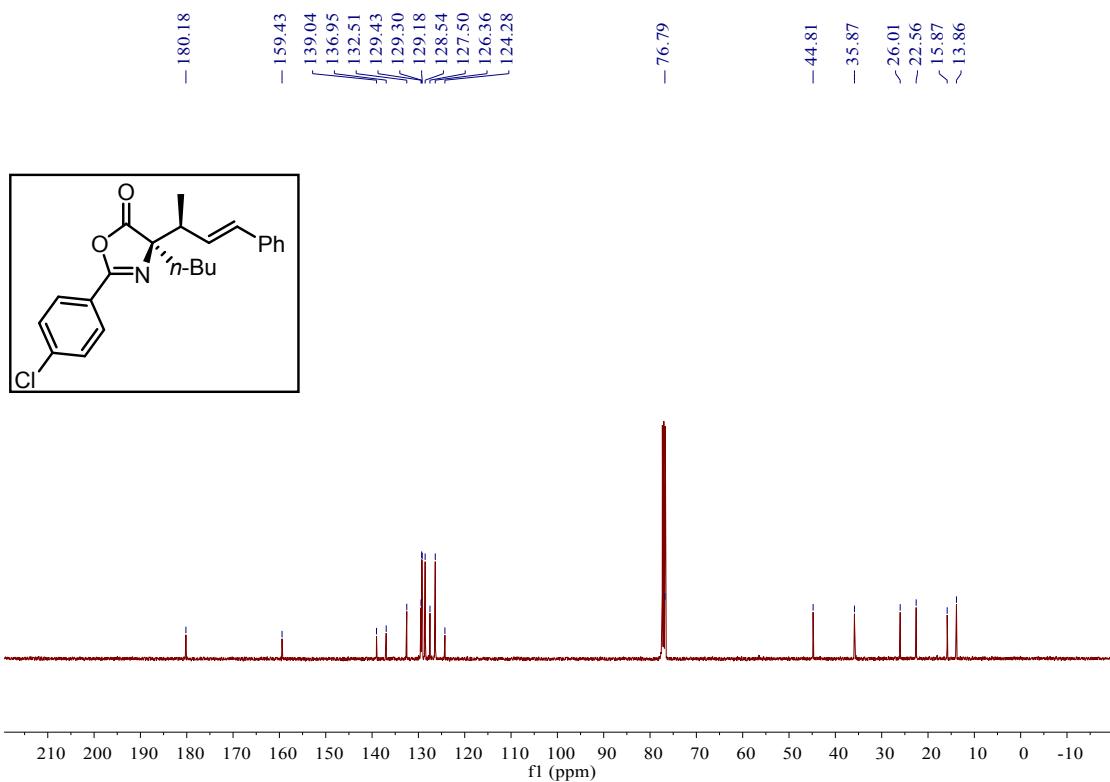
<sup>13</sup>C NMR Spectrum of Compound 3s (101 MHz, CDCl<sub>3</sub>)



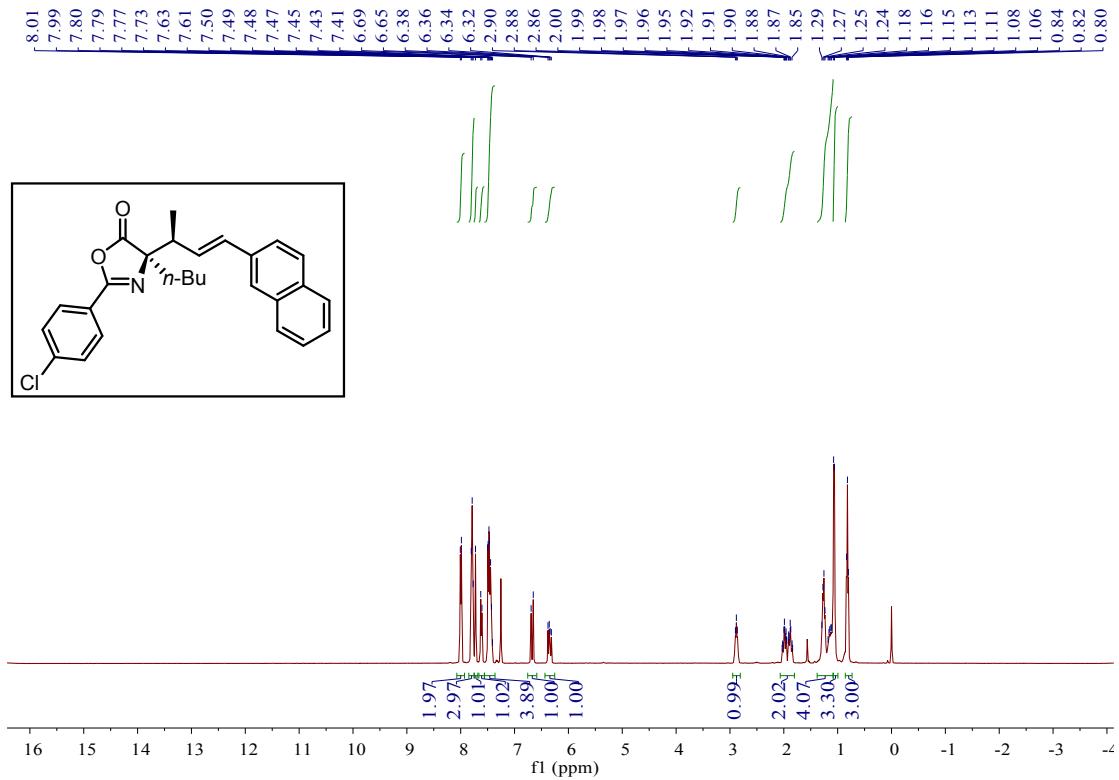
<sup>1</sup>H NMR Spectrum of Compound 3t (400 MHz, CDCl<sub>3</sub>)



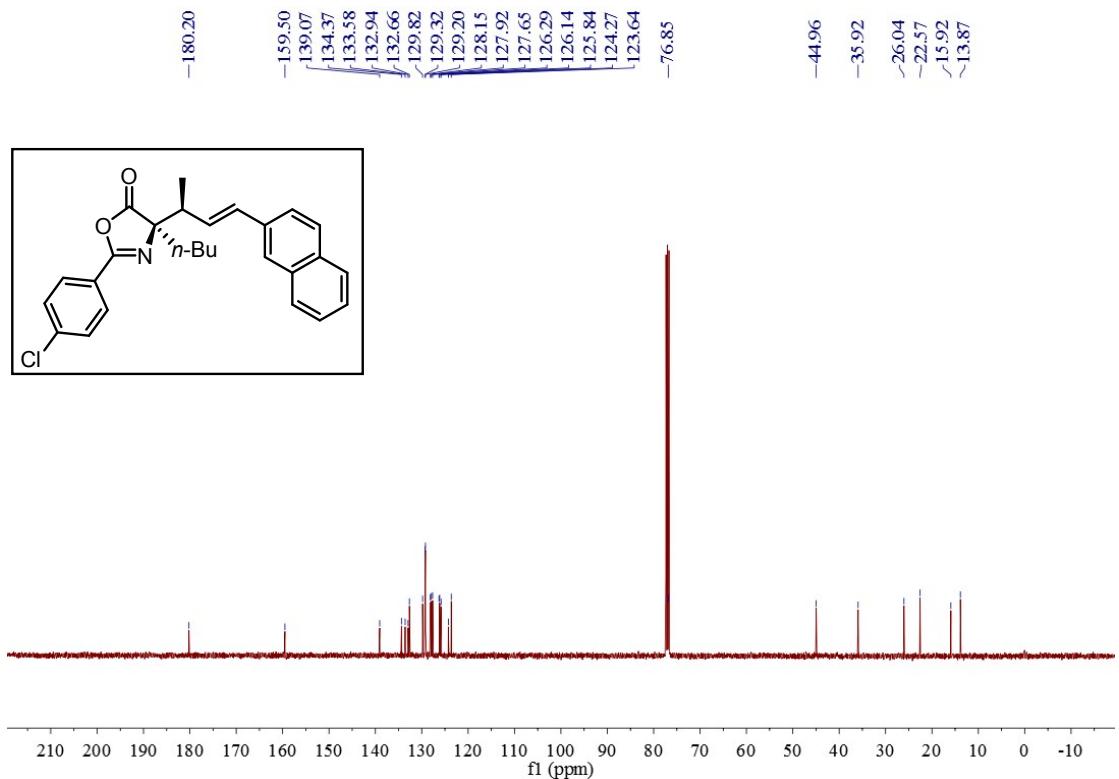
<sup>13</sup>C NMR Spectrum of Compound 3t (101 MHz, CDCl<sub>3</sub>)



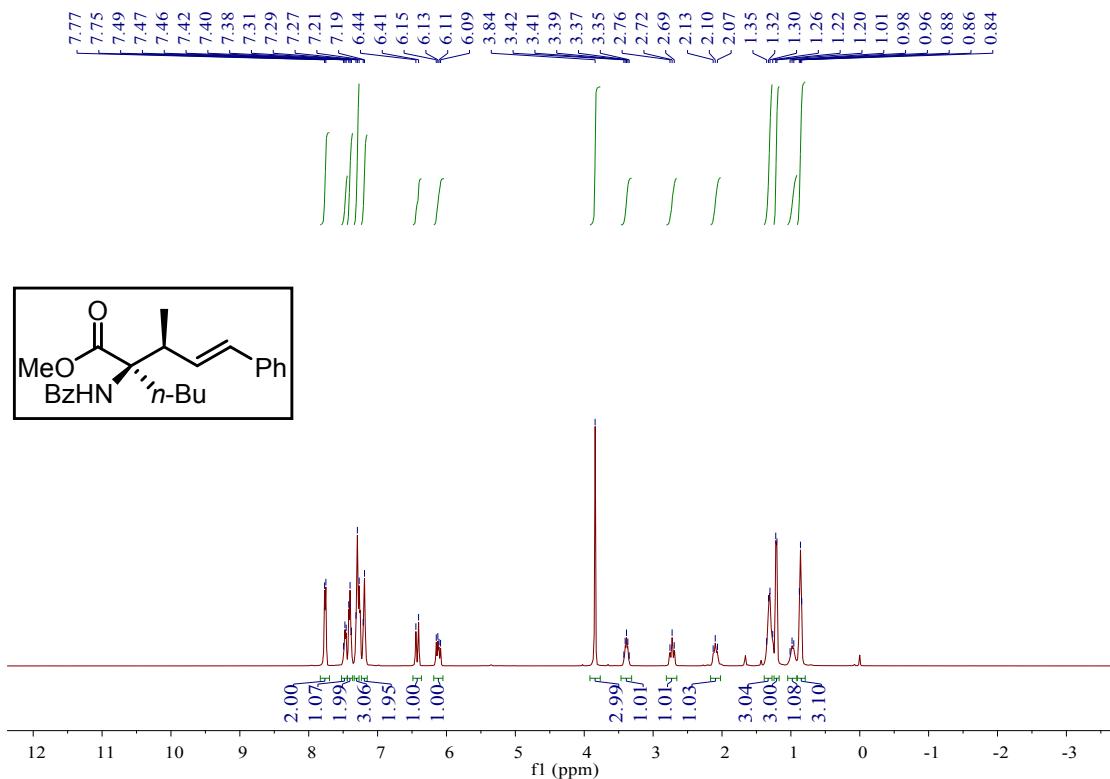
<sup>1</sup>H NMR Spectrum of Compound **3u** (400 MHz, CDCl<sub>3</sub>)



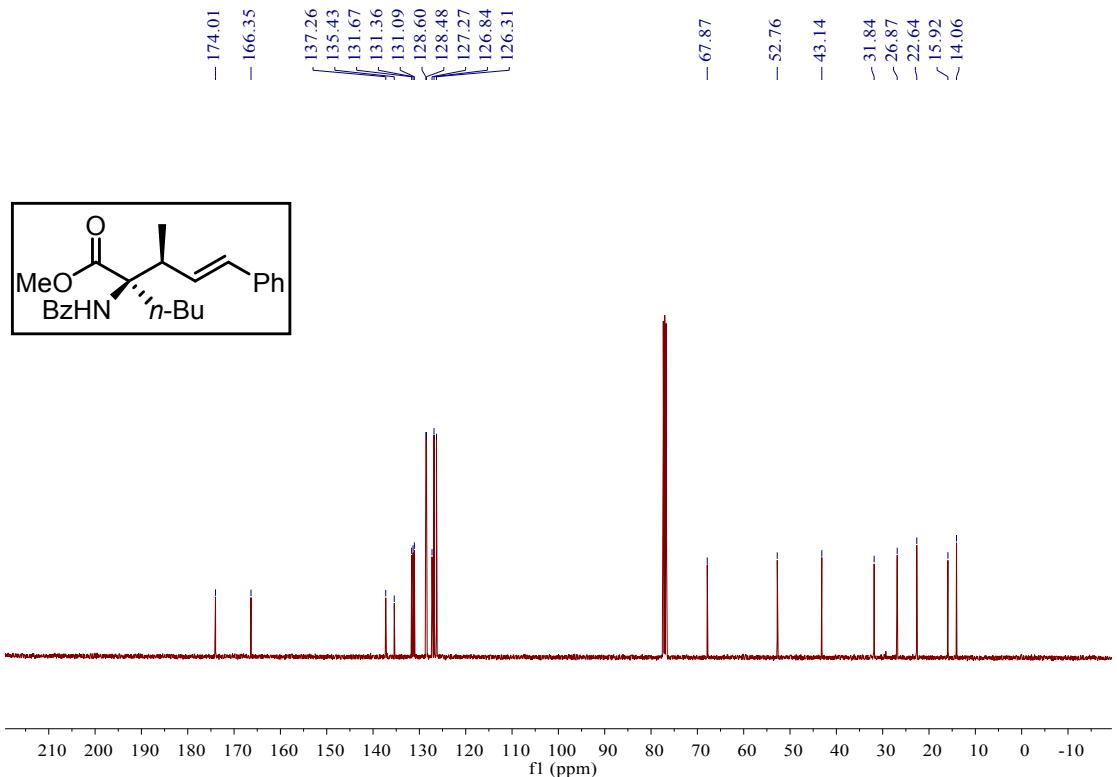
<sup>13</sup>C NMR Spectrum of Compound **3u** (101 MHz, CDCl<sub>3</sub>)



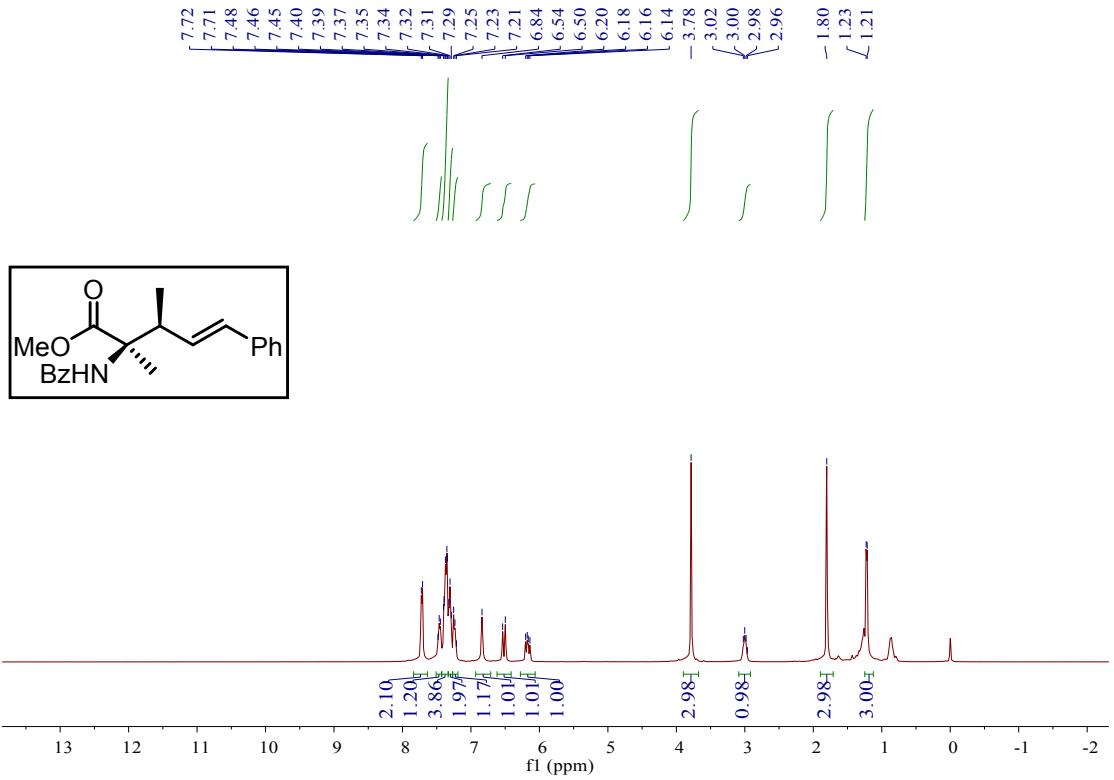
<sup>1</sup>H NMR Spectrum of Compound 4 (400 MHz, CDCl<sub>3</sub>)



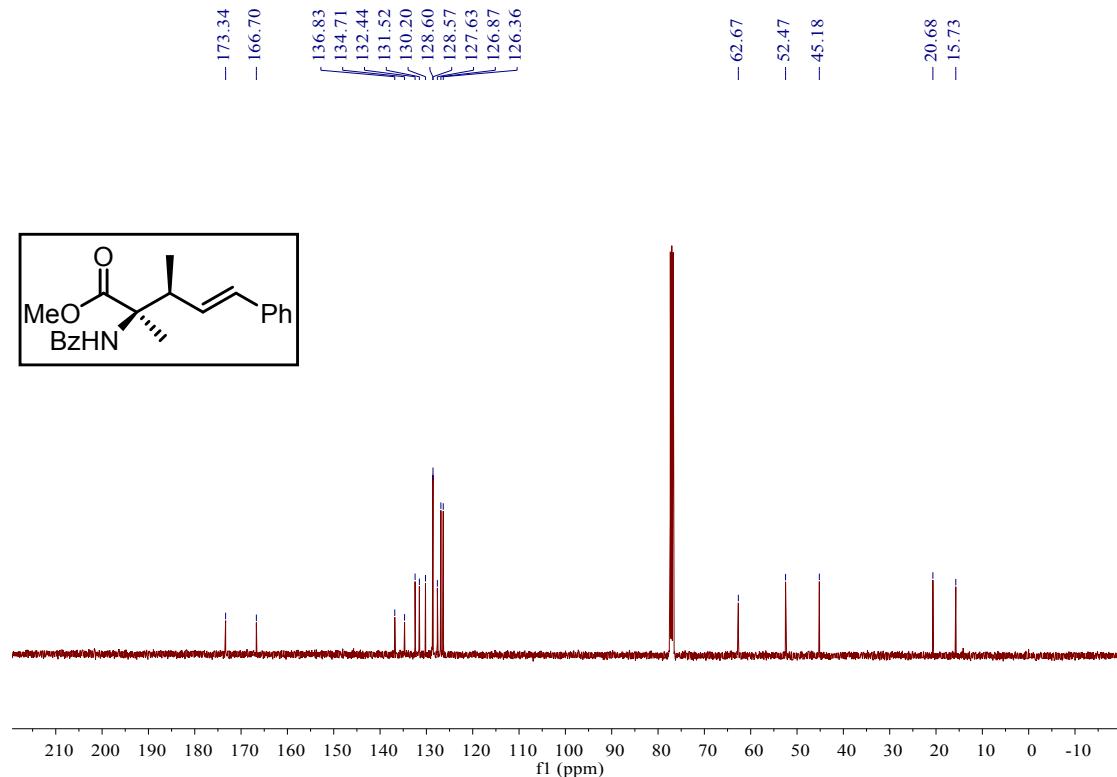
<sup>13</sup>C NMR Spectrum of Compound 4 (101 MHz, CDCl<sub>3</sub>)



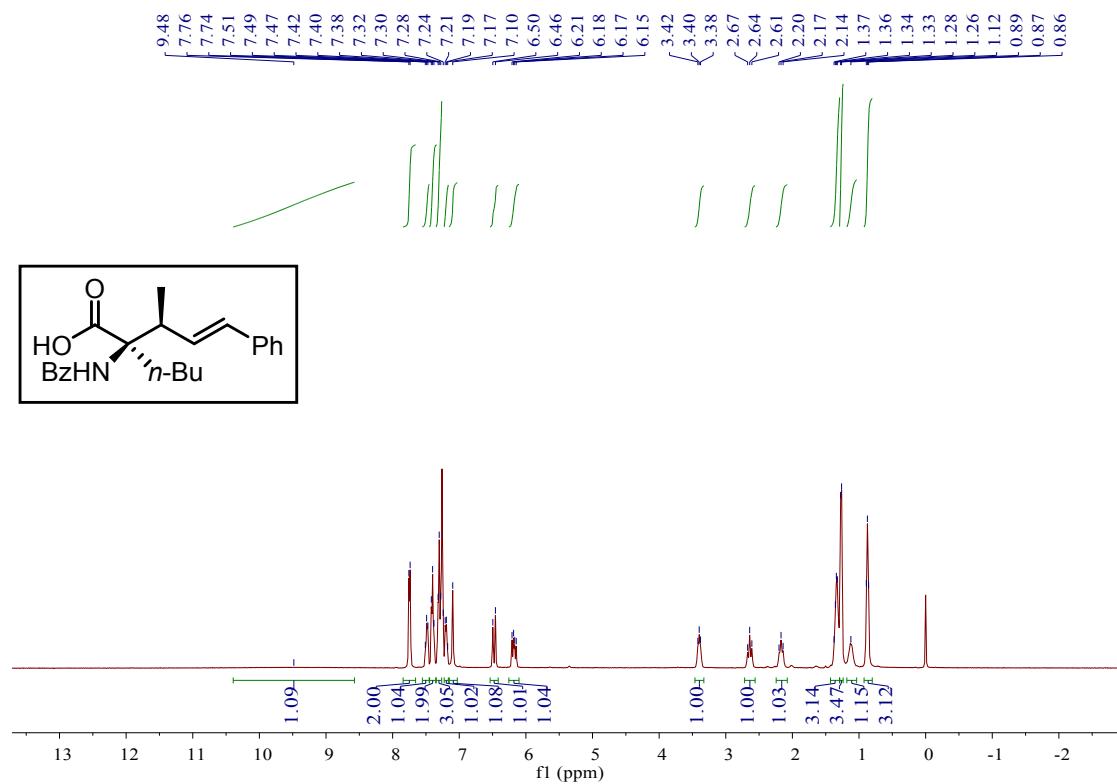
<sup>1</sup>H NMR Spectrum of Compound **4m** (400 MHz, CDCl<sub>3</sub>)



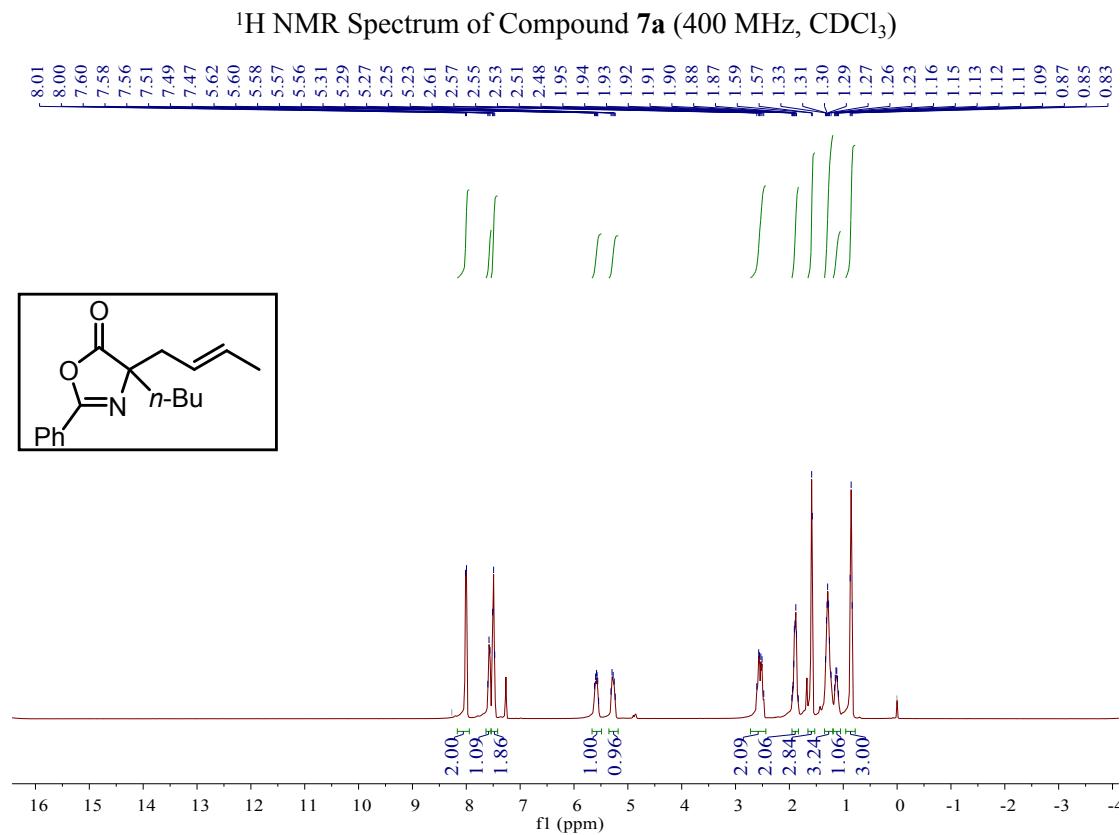
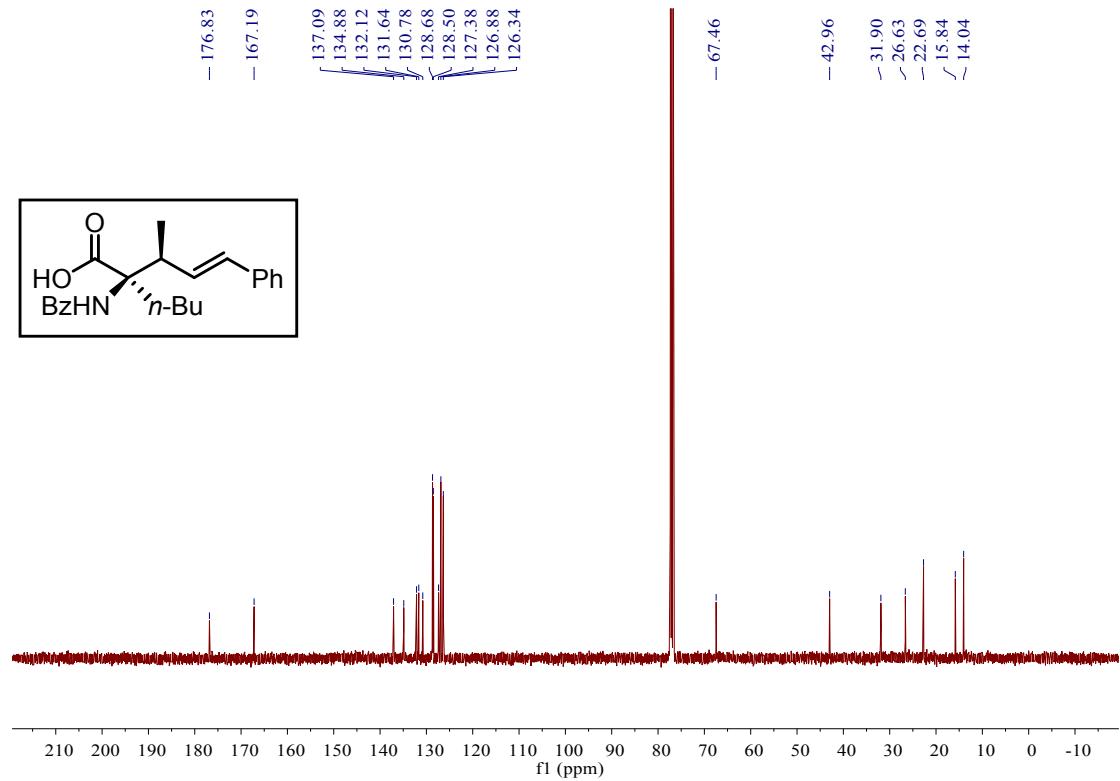
<sup>13</sup>C NMR Spectrum of Compound **4m** (101 MHz, CDCl<sub>3</sub>)



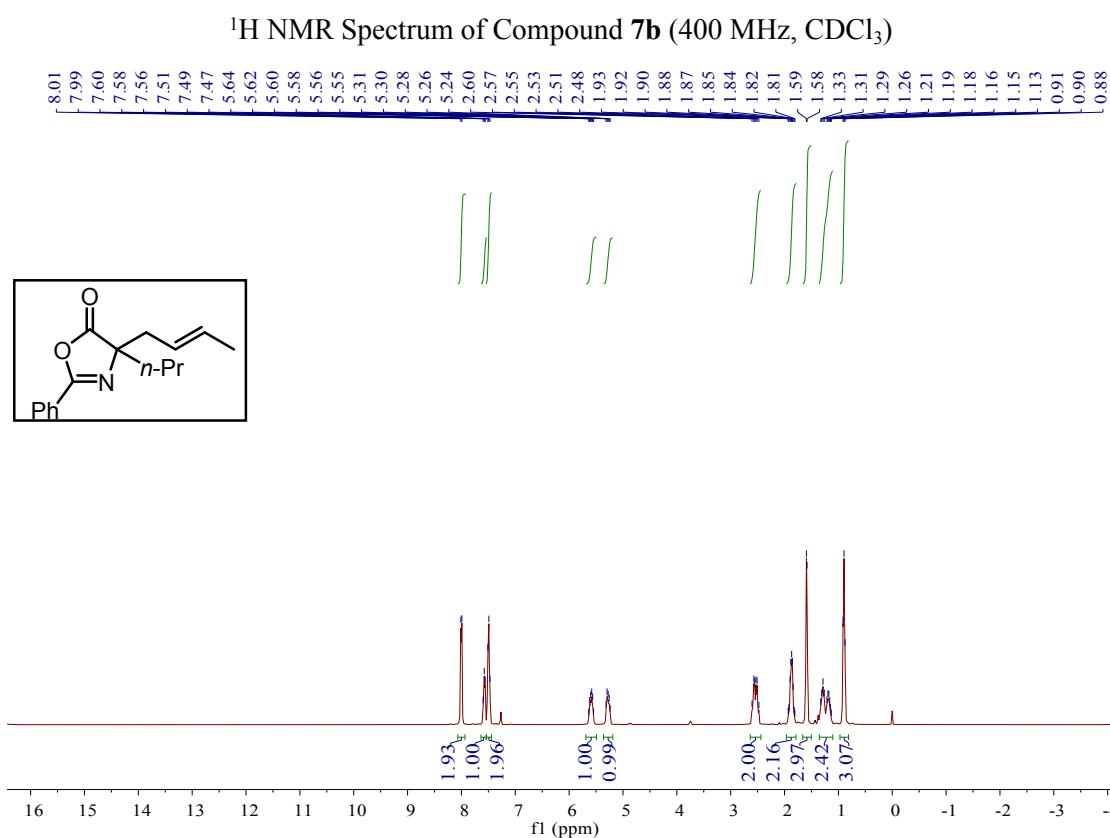
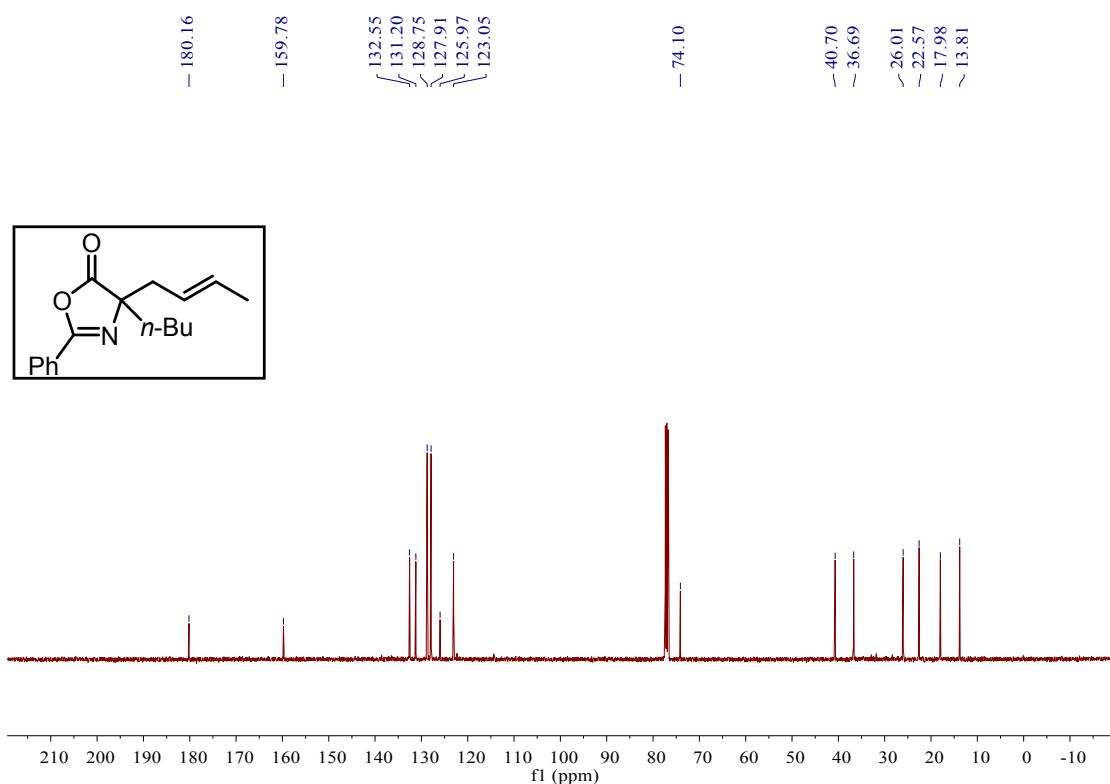
$^1\text{H}$  NMR Spectrum of Compound 5 (400 MHz,  $\text{CDCl}_3$ )



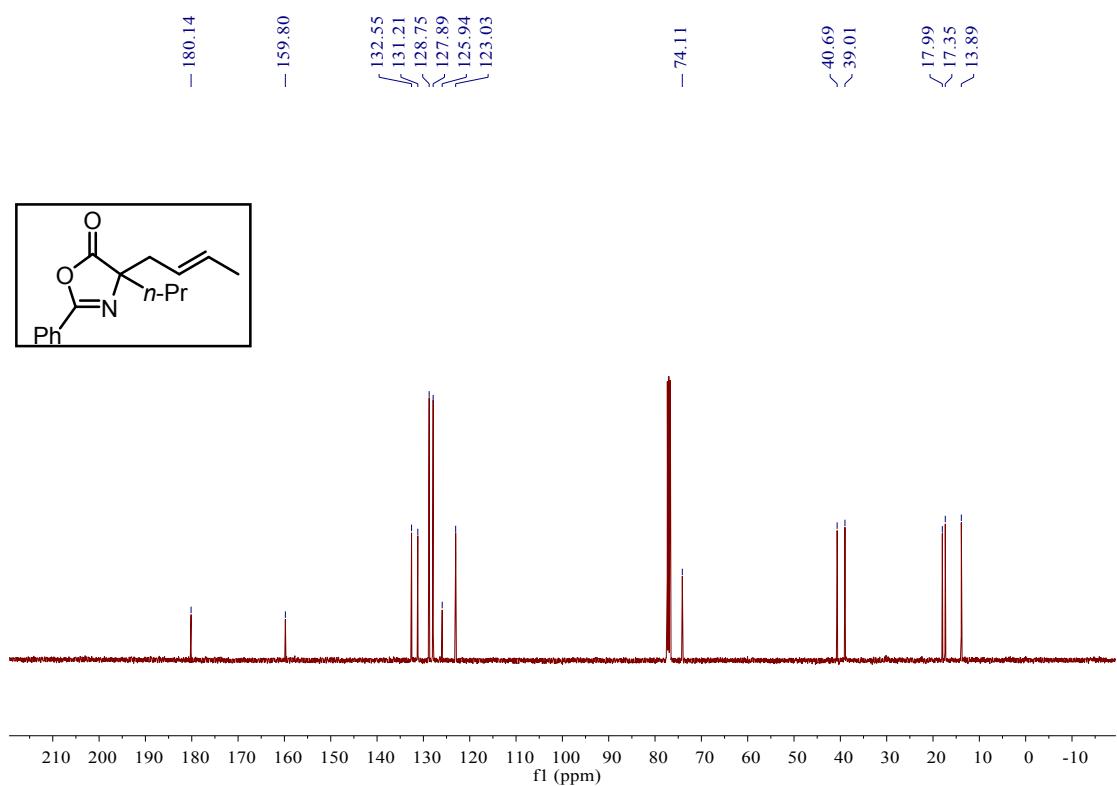
$^{13}\text{C}$  NMR Spectrum of Compound 5 (101 MHz,  $\text{CDCl}_3$ )



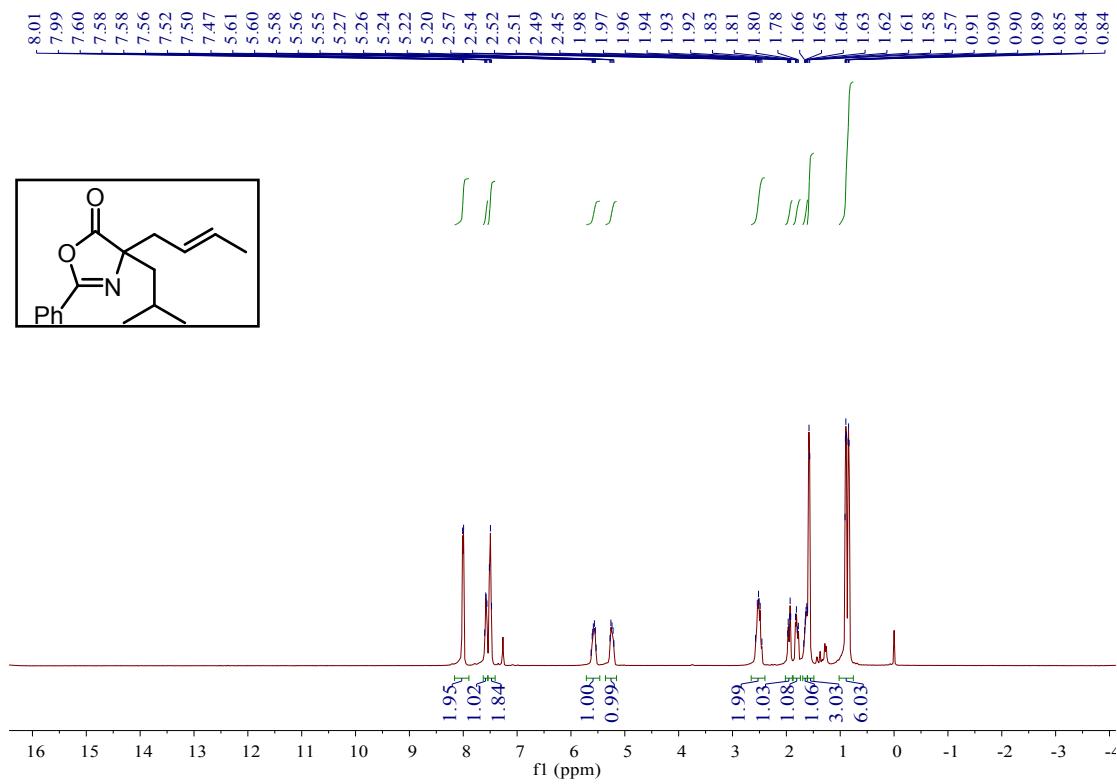
<sup>13</sup>C NMR Spectrum of Compound 7a (101 MHz, CDCl<sub>3</sub>)



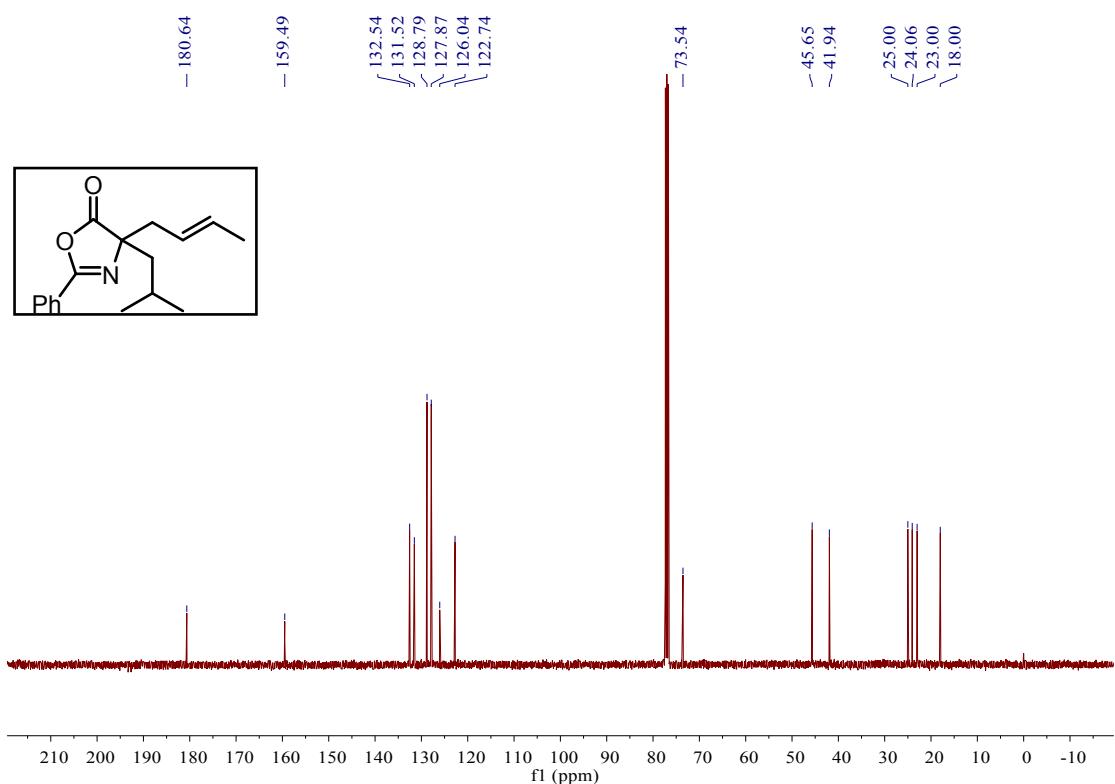
<sup>13</sup>C NMR Spectrum of Compound **7b** (101 MHz, CDCl<sub>3</sub>)



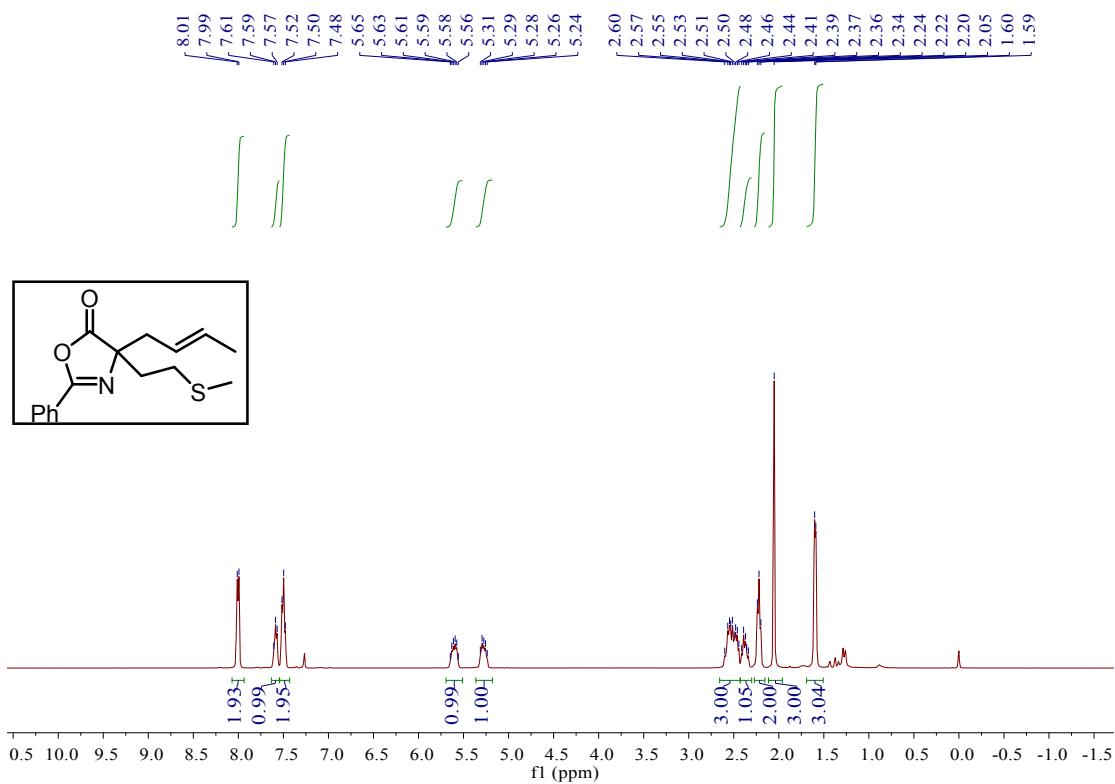
$^1\text{H}$  NMR Spectrum of Compound **7c** (400 MHz,  $\text{CDCl}_3$ )



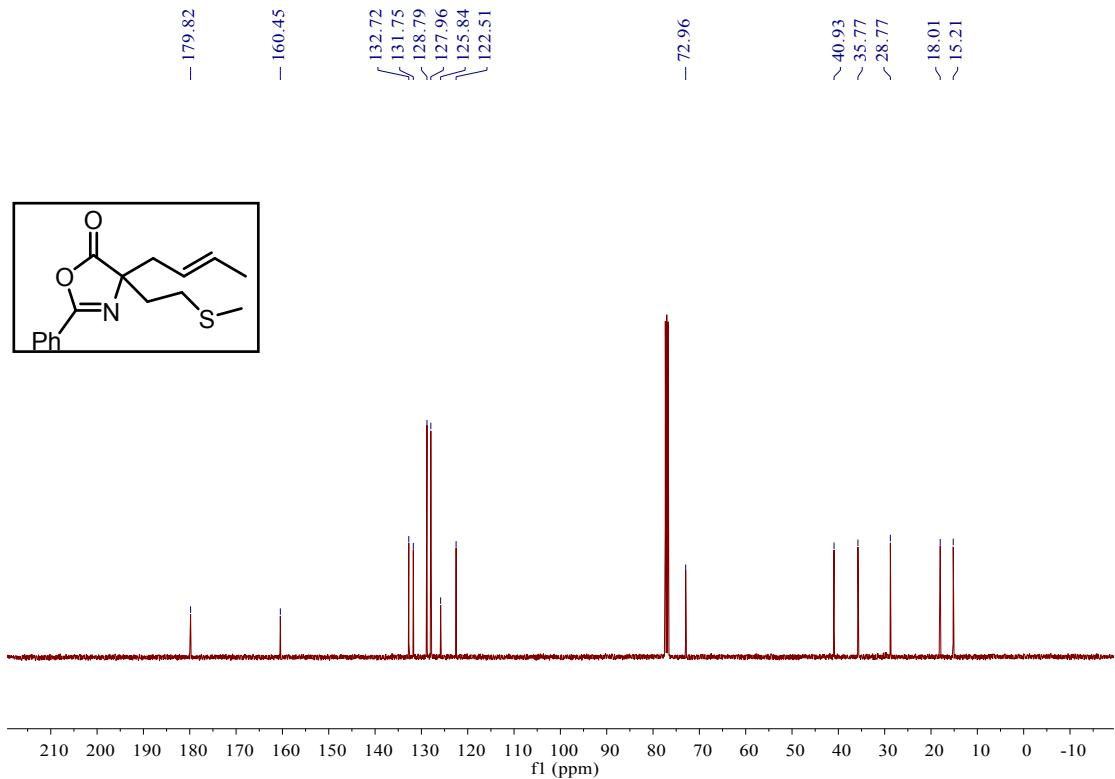
$^{13}\text{C}$  NMR Spectrum of Compound **7c** (101 MHz,  $\text{CDCl}_3$ )



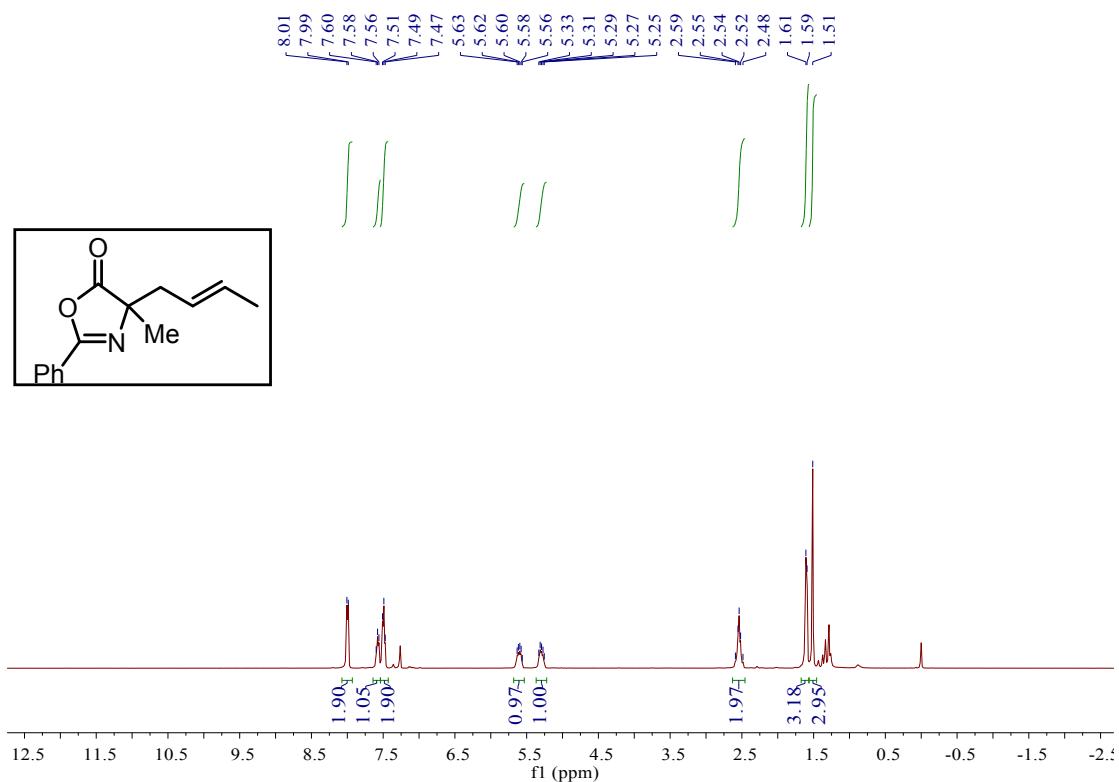
<sup>1</sup>H NMR Spectrum of Compound 7d (400 MHz, CDCl<sub>3</sub>)

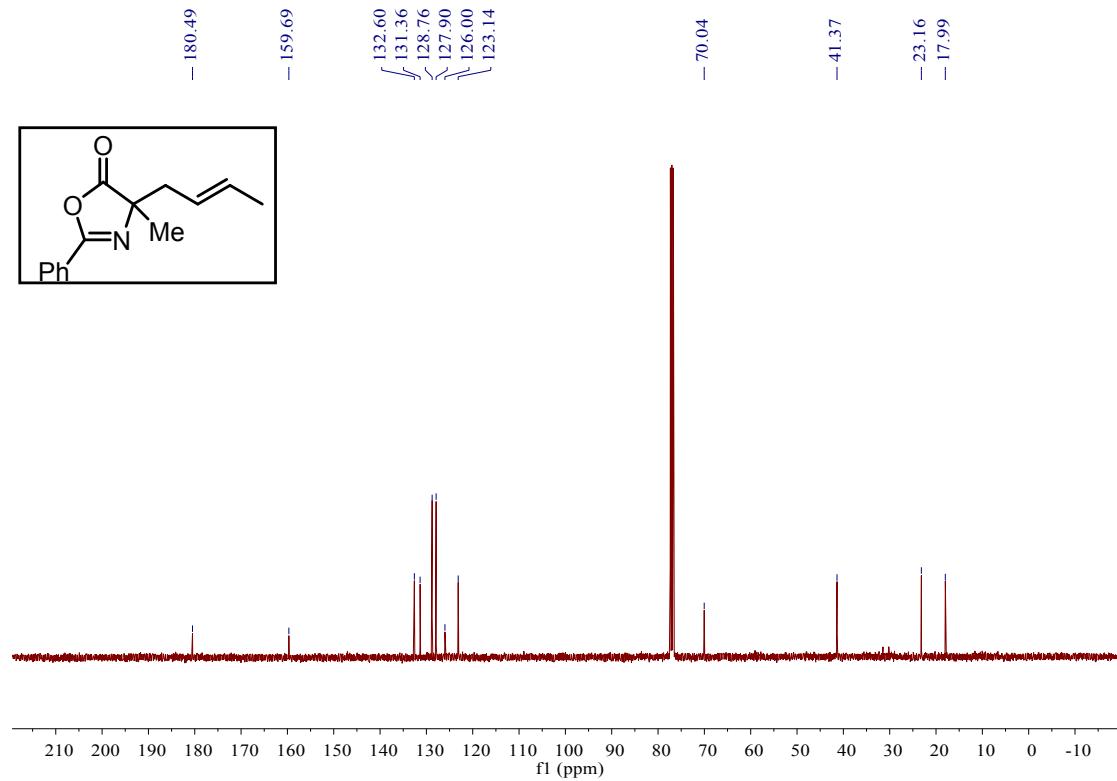


<sup>13</sup>C NMR Spectrum of Compound 7d (101 MHz, CDCl<sub>3</sub>)

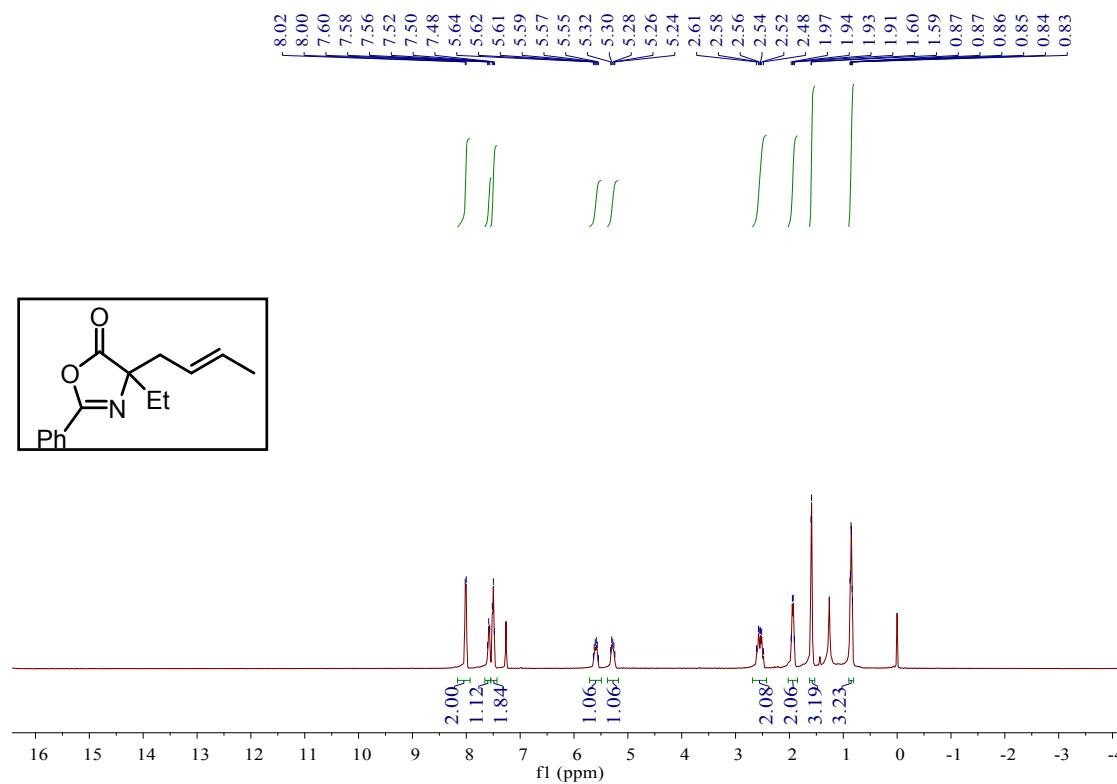


<sup>1</sup>H NMR Spectrum of Compound 7e (400 MHz, CDCl<sub>3</sub>)

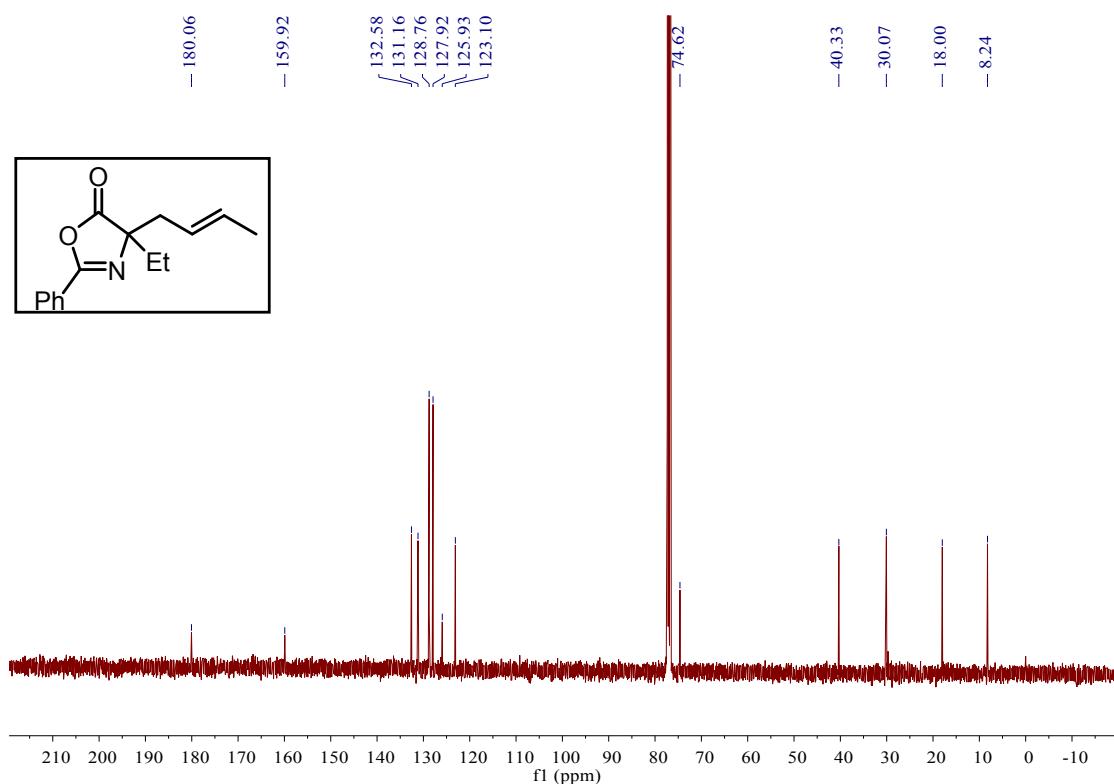




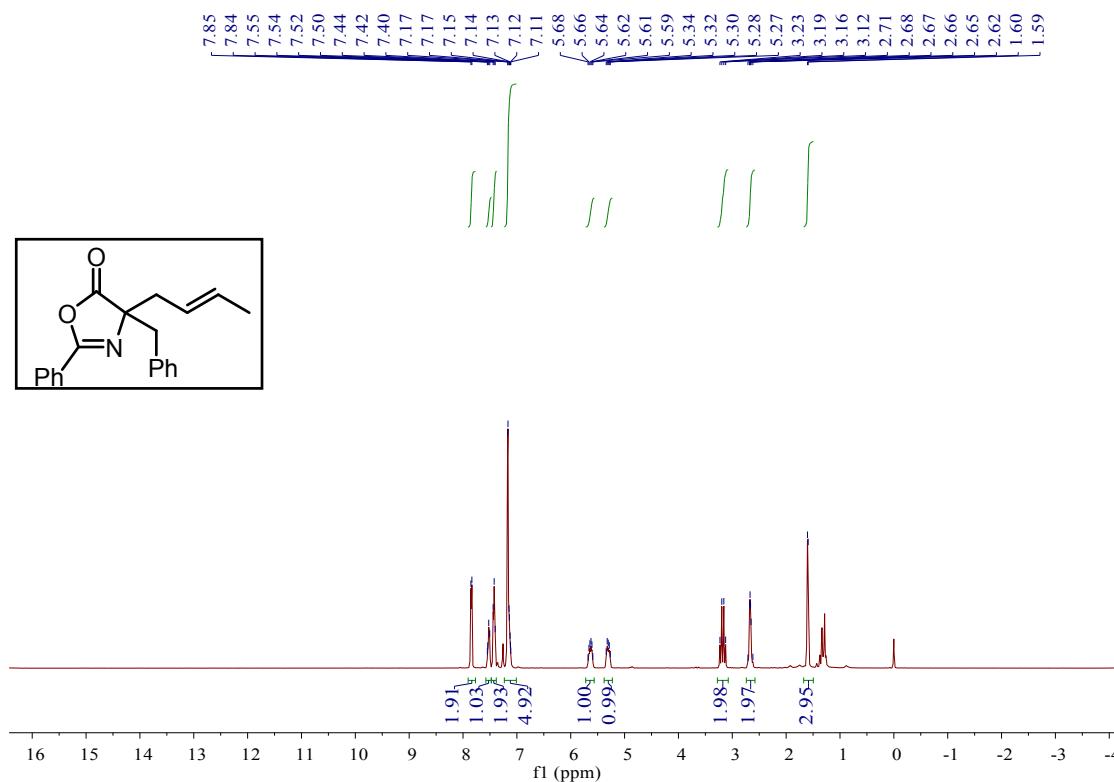
<sup>1</sup>H NMR Spectrum of Compound 7f (400 MHz, CDCl<sub>3</sub>)



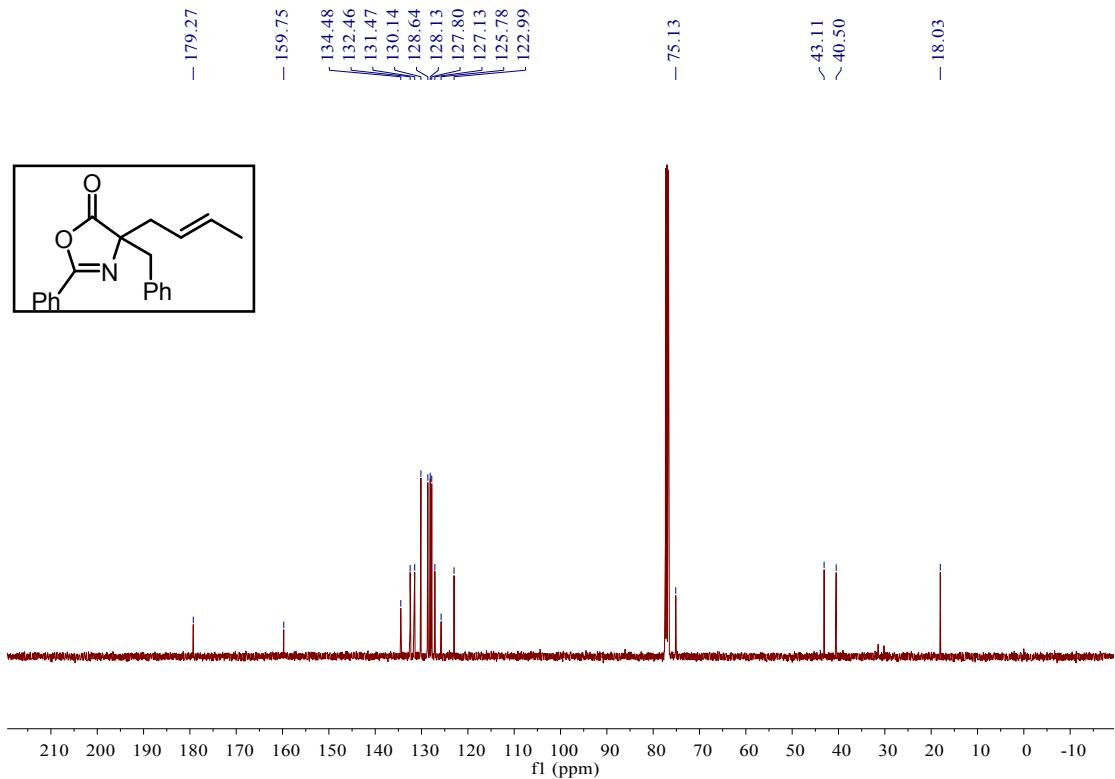
<sup>1</sup>H NMR Spectrum of Compound 7f (400 MHz, CDCl<sub>3</sub>)



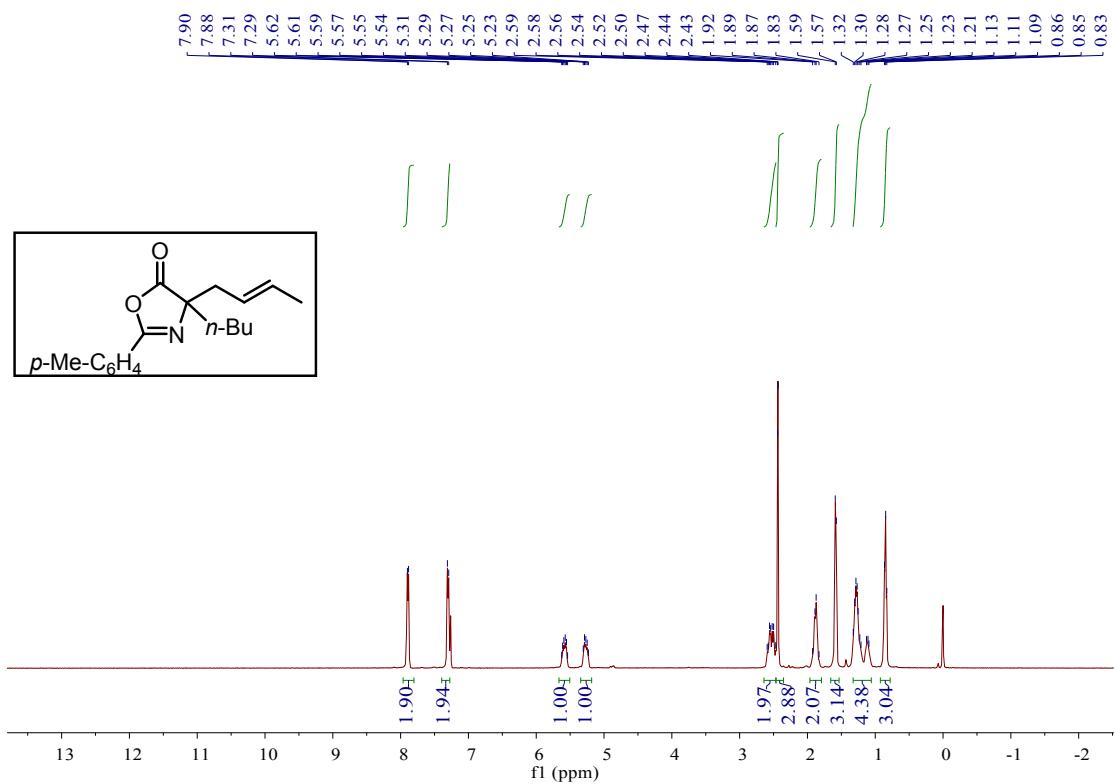
<sup>1</sup>H NMR Spectrum of Compound 7g (400 MHz, CDCl<sub>3</sub>)



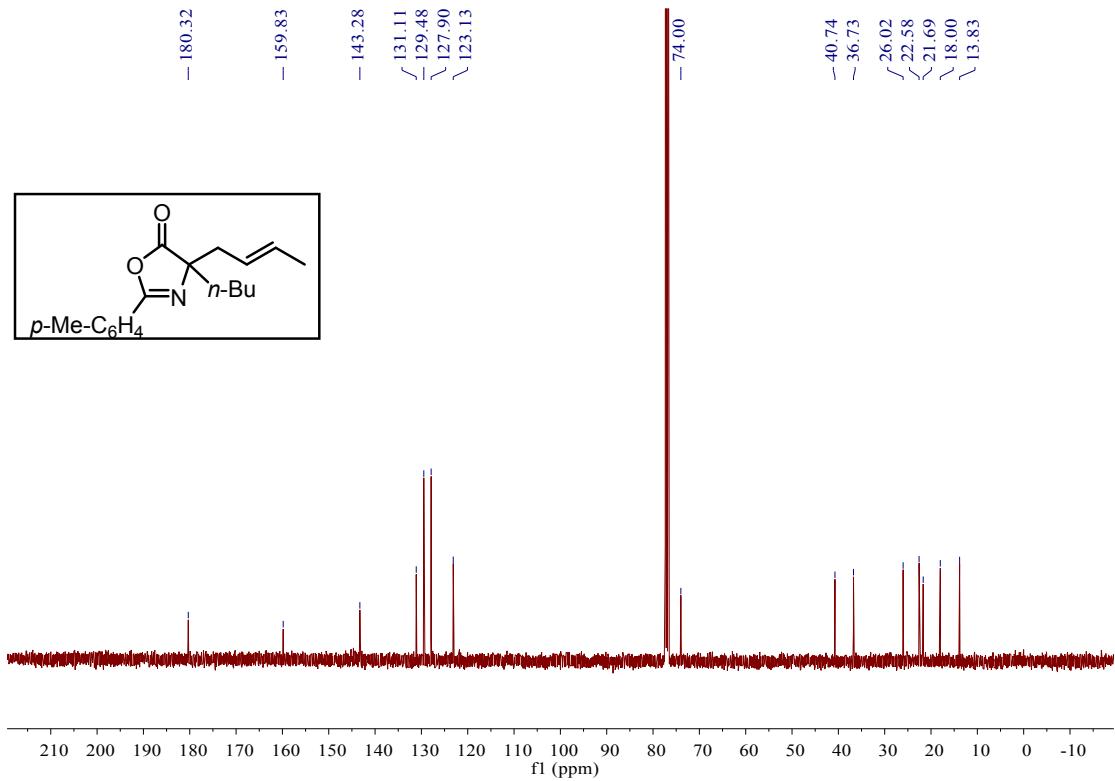
<sup>13</sup>C NMR Spectrum of Compound 7g (101 MHz, CDCl<sub>3</sub>)



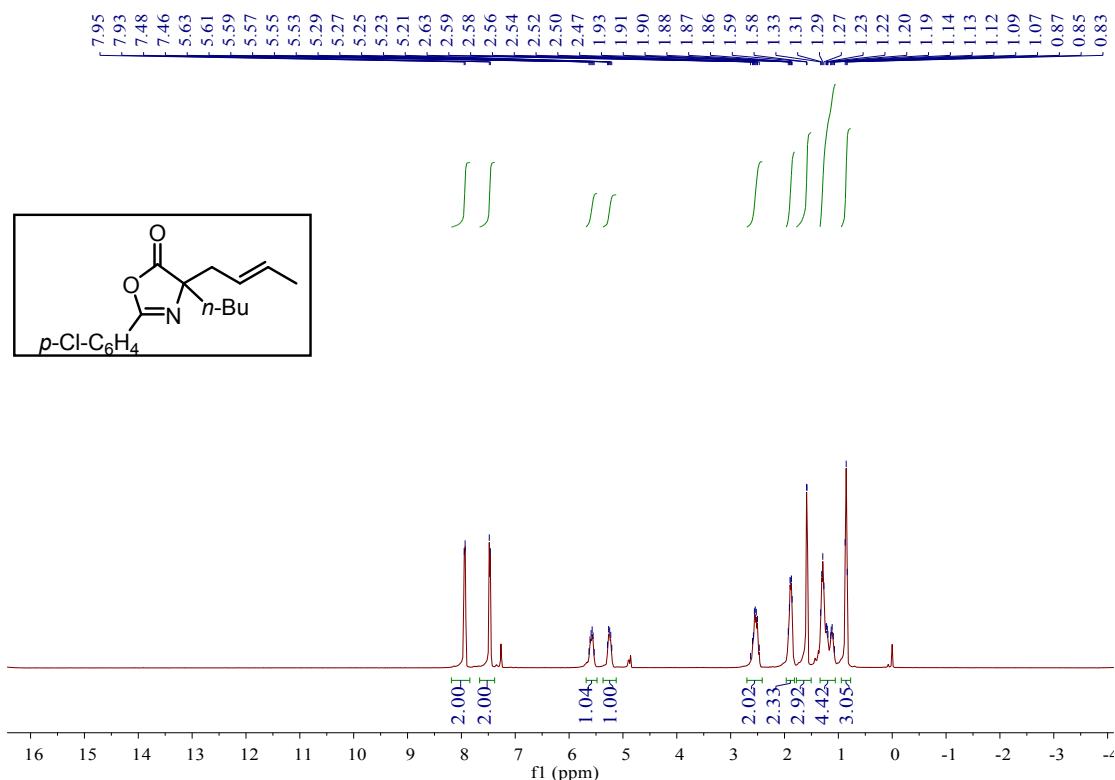
<sup>1</sup>H NMR Spectrum of Compound 7h (400 MHz, CDCl<sub>3</sub>)



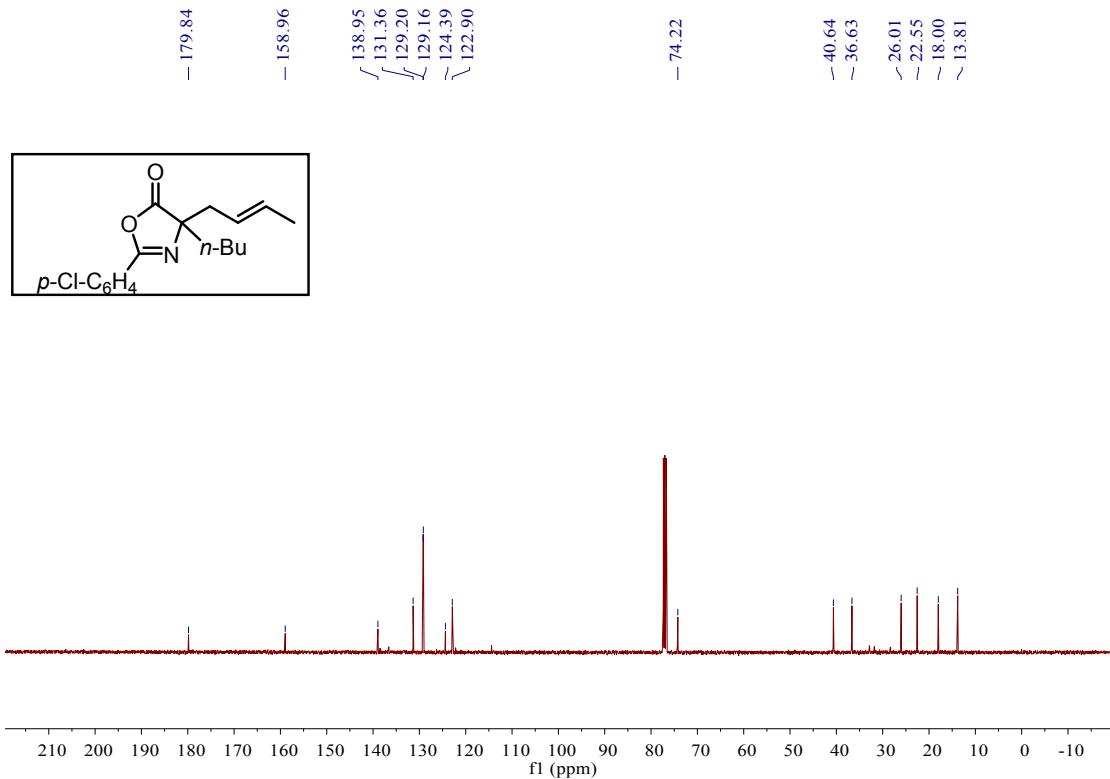
<sup>13</sup>C NMR Spectrum of Compound 7h (101 MHz, CDCl<sub>3</sub>)



$^1\text{H}$  NMR Spectrum of Compound **7i** (400 MHz,  $\text{CDCl}_3$ )



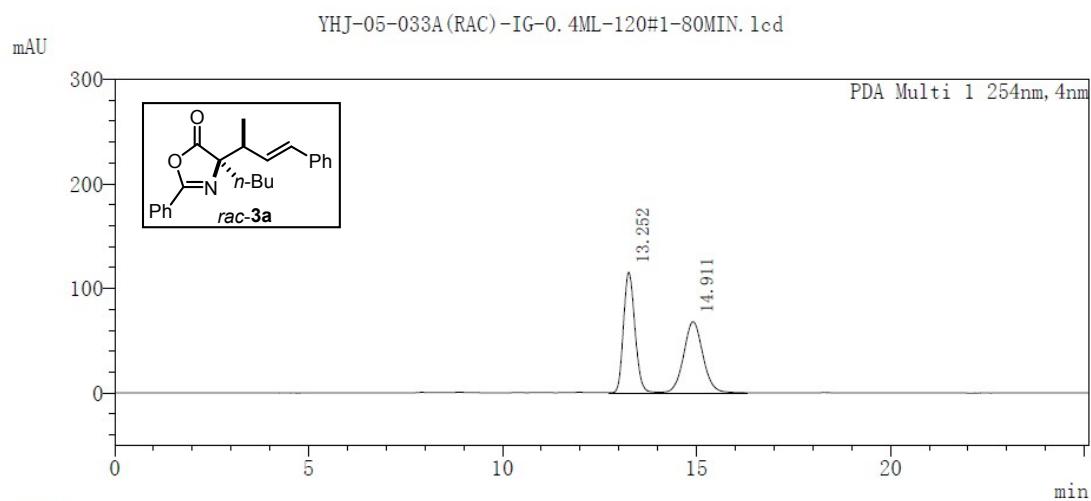
$^{13}\text{C}$  NMR Spectrum of Compound **7i** (101 MHz,  $\text{CDCl}_3$ )



## 6. HPLC spectra

**Compound 3a: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 120:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 13.209 min,  $t_R$  (minor isomer) = 14.920 min.

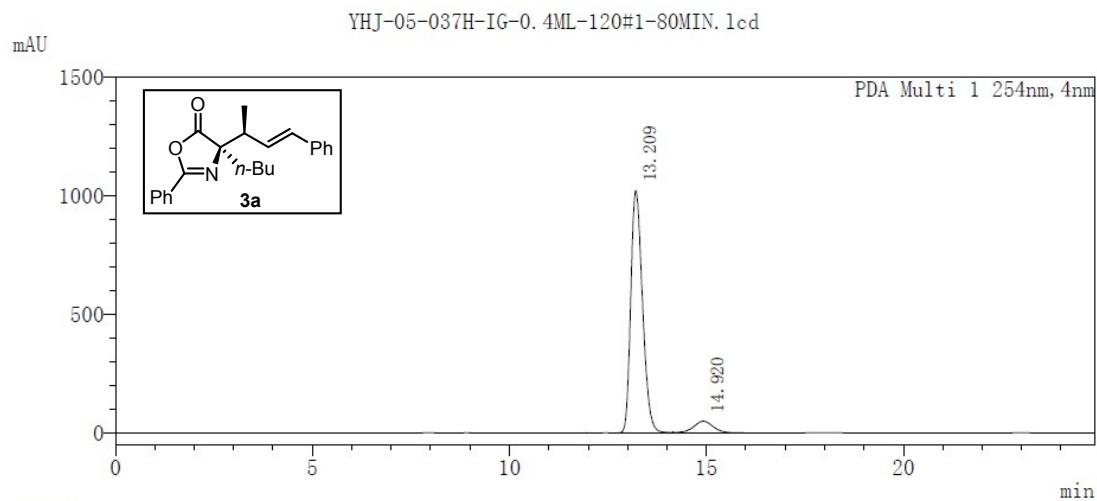
<色谱图>



<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.252	2361256	115220	50.228	1.170
2	14.911	2339832	67967	49.772	1.059
总计		4701087	183187	100.000	

<色谱图>

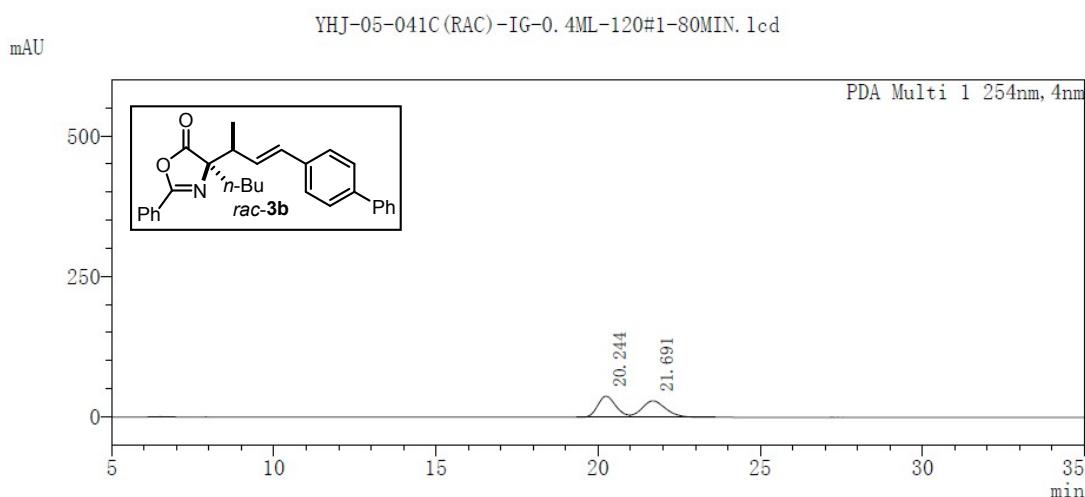


<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.209	21151833	1021419	92.587	1.283
2	14.920	1693649	48851	7.413	0.977
总计		22845482	1070271	100.000	

**Compound 3b: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 120:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 20.214 min,  $t_R$  (minor isomer) = 21.689 min.

<色谱图>

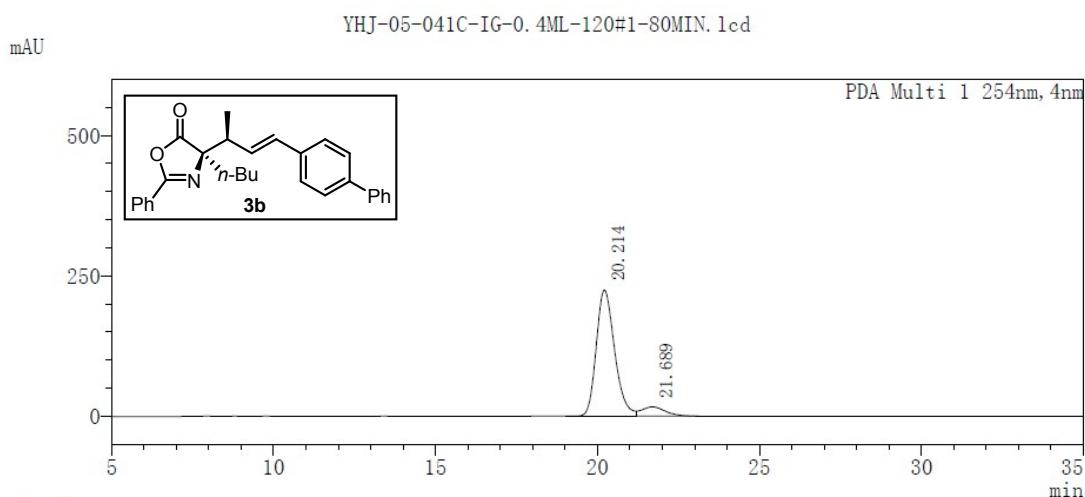


<峰表>

PDA Ch1 254nm  
YHJ-05-041C (RAC)-IG-0.4ML-120#1-80MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	20.244	1476776	37647	49.358	--
2	21.691	1515195	29355	50.642	--
总计		2991971	67002	100.000	

<色谱图>



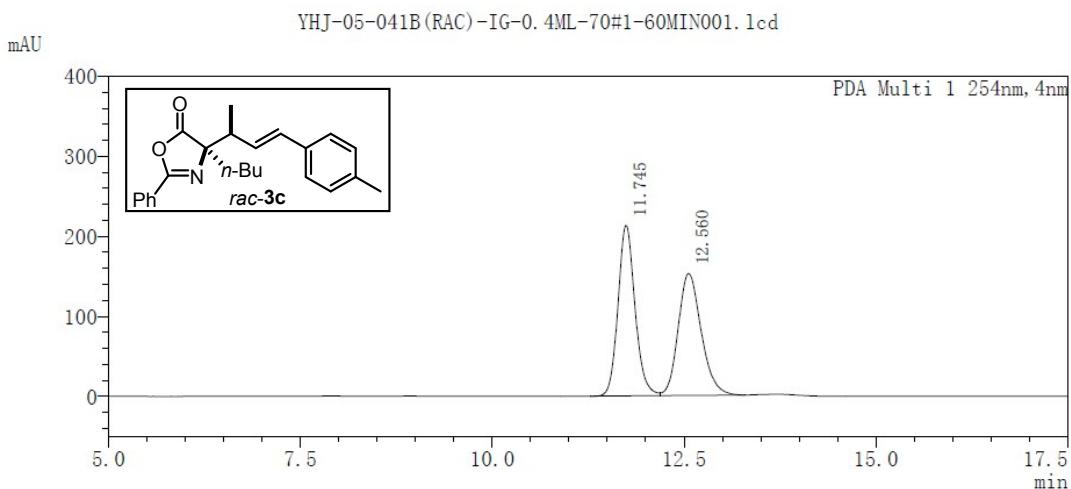
<峰表>

PDA Ch1 254nm  
YHJ-05-041C-IG-0.4ML-120#1-80MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	20.214	8802263	224454	91.224	1.277
2	21.689	846841	16337	8.776	--
总计		9649104	240791	100.000	

**Compound 3c: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 11.712 min,  $t_R$  (minor isomer) = 12.518 min.

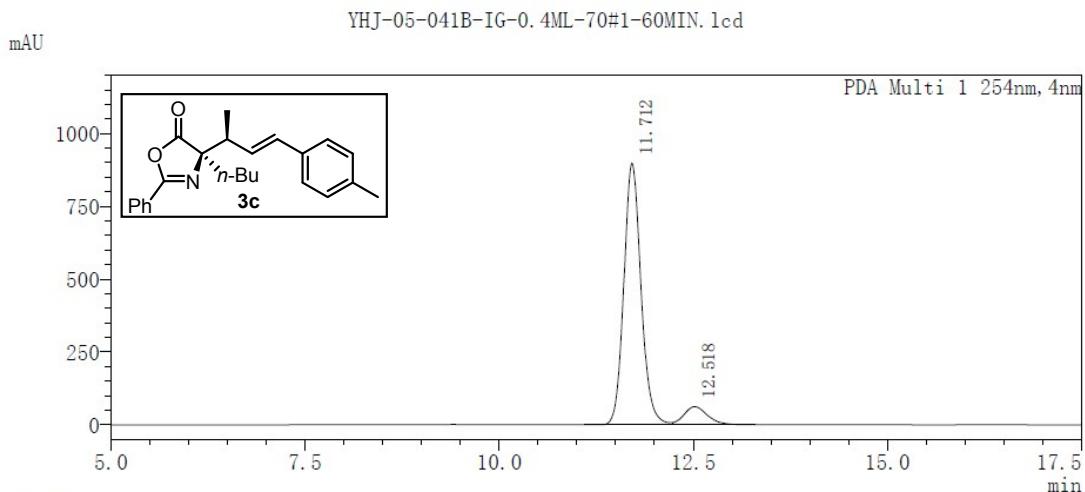
〈色谱图〉



〈峰表〉

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.745	3290509	213138	50.807	1.144
2	12.560	3185988	152431	49.193	1.201
总计		6476497	365568	100.000	

〈色谱图〉

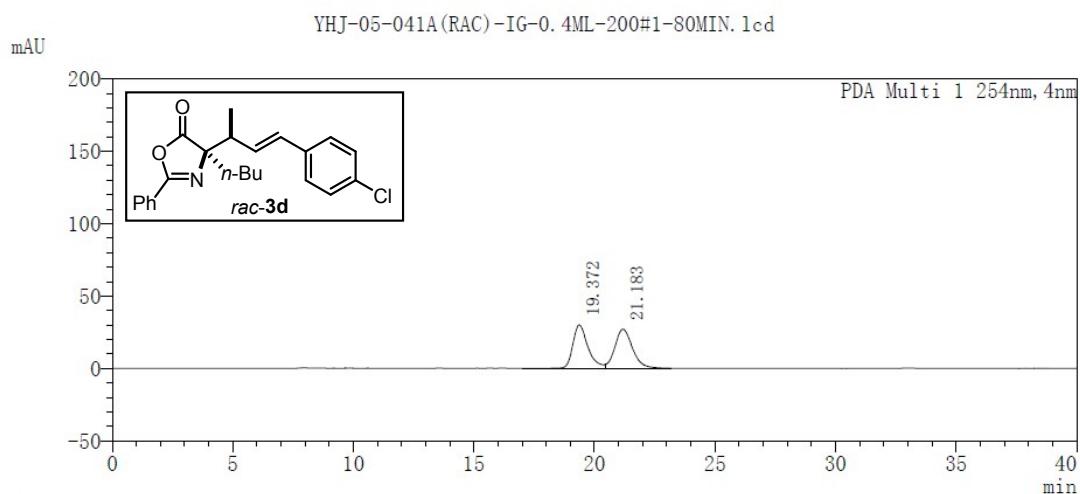


〈峰表〉

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.712	13827737	898047	91.309	1.129
2	12.518	1316081	62278	8.691	--
总计		15143818	960326	100.000	

**Compound 3d: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 200:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 19.217 min,  $t_R$  (minor isomer) = 21.215 min.

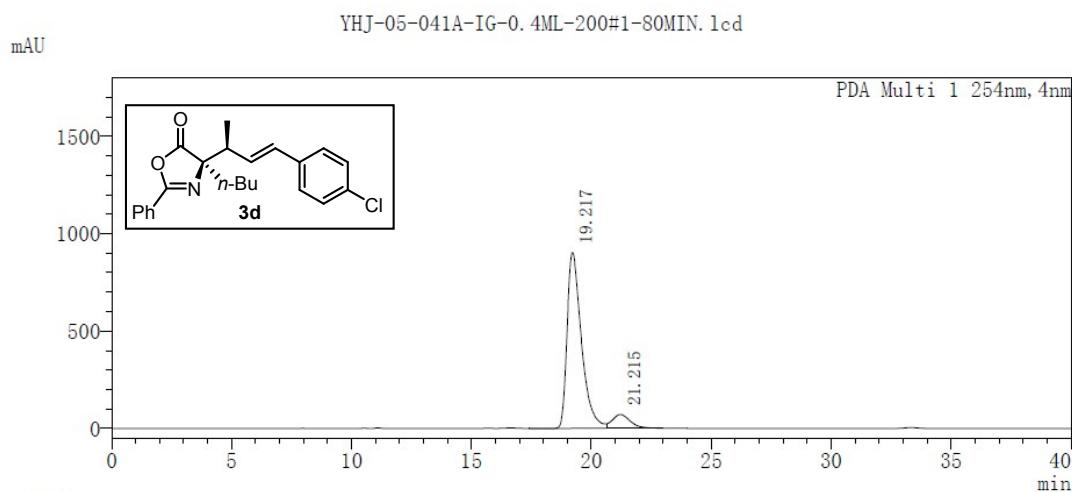
<色谱图>



<峰表>

PDA Ch1 254nm				
峰号	保留时间	面积	高度	面积%
1	19.372	1294810	29877	48.890
2	21.183	1353586	26945	51.110
总计		2648396	56822	100.000

<色谱图>

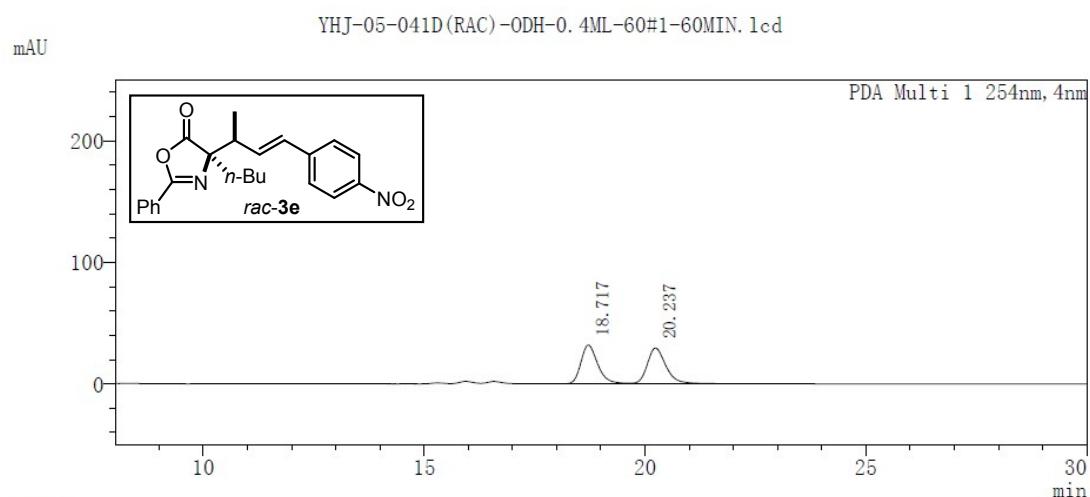


<峰表>

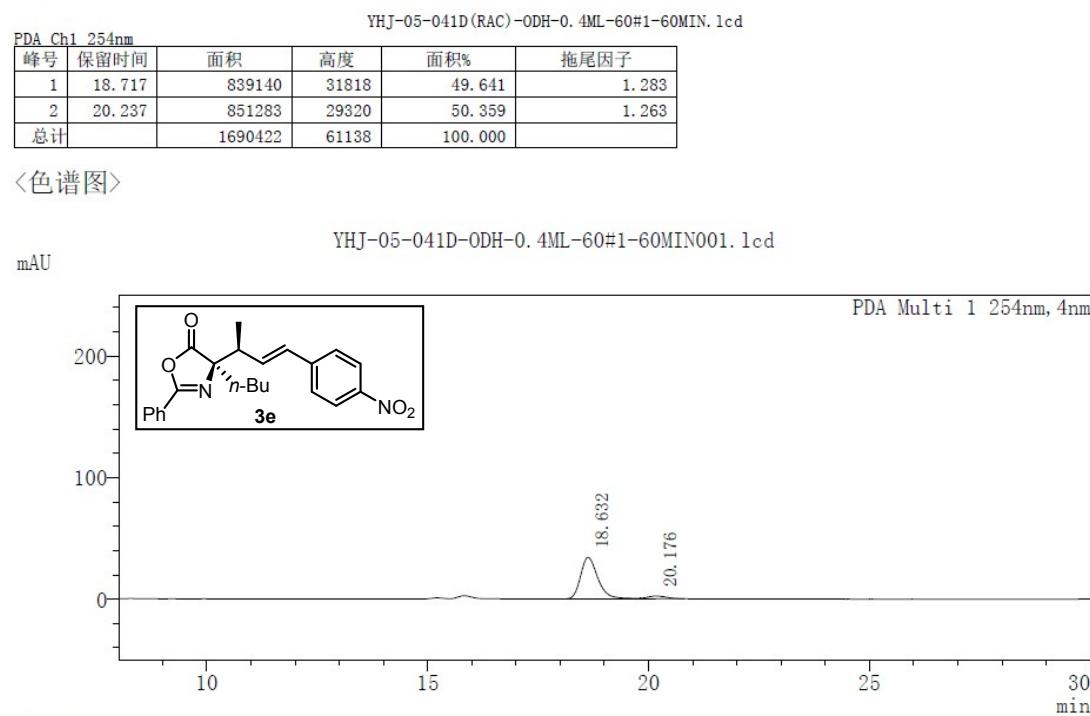
PDA Ch1 254nm				
峰号	保留时间	面积	高度	面积%
1	19.217	37414361	902894	91.005
2	21.215	3698232	70659	8.995
总计		41112594	973553	100.000

**Compound 3e: HPLC condition:** Chiralcel OD-H column, *n*-hexane/*i*-PrOH = 60:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 18.632 min,  $t_R$  (minor isomer) = 20.176 min.

<色谱图>



<峰表>



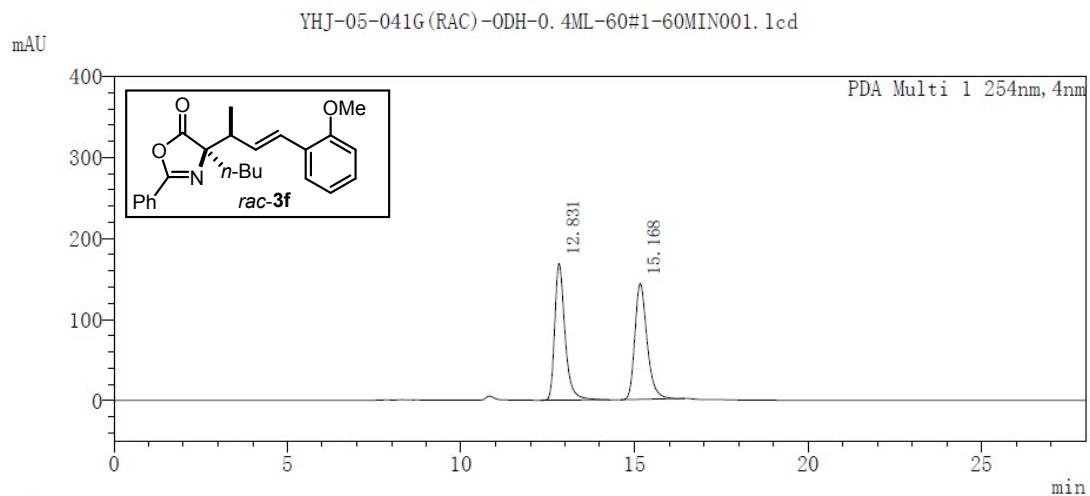
<峰表>

YHJ-05-041D-ODH-0.4ML-60#1-60MIN001.1cd  
PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	18.632	930252	34226	93.346	1.293
2	20.176	66314	2338	6.654	--
总计		996567	36564	100.000	

**Compound 3f: HPLC condition:** Chiralcel OD-H column, *n*-hexane/*i*-PrOH = 60:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 12.834 min,  $t_R$  (minor isomer) = 15.198 min.

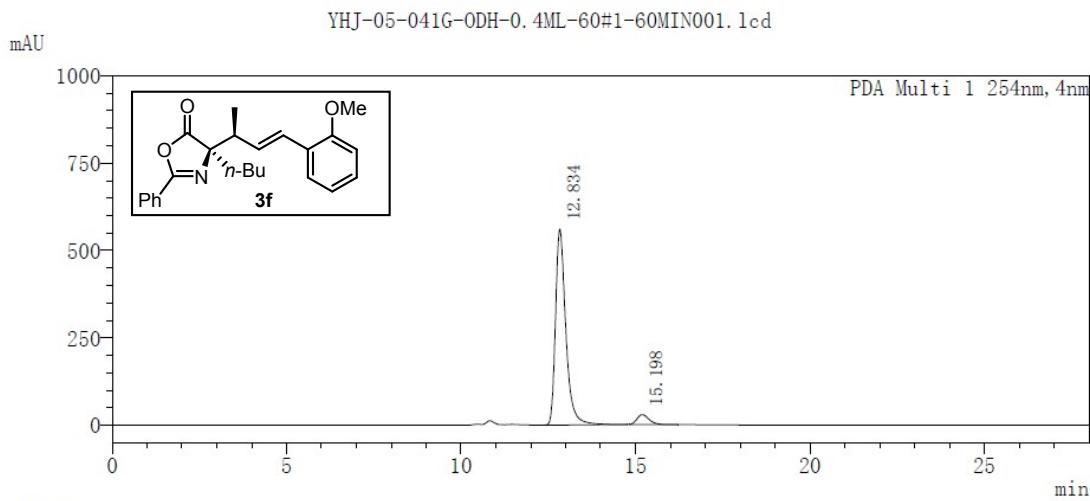
<色谱图>



<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.831	3475952	168518	49.778	1.387
2	15.168	3506947	142739	50.222	1.304
总计		6982899	311257	100.000	

<色谱图>



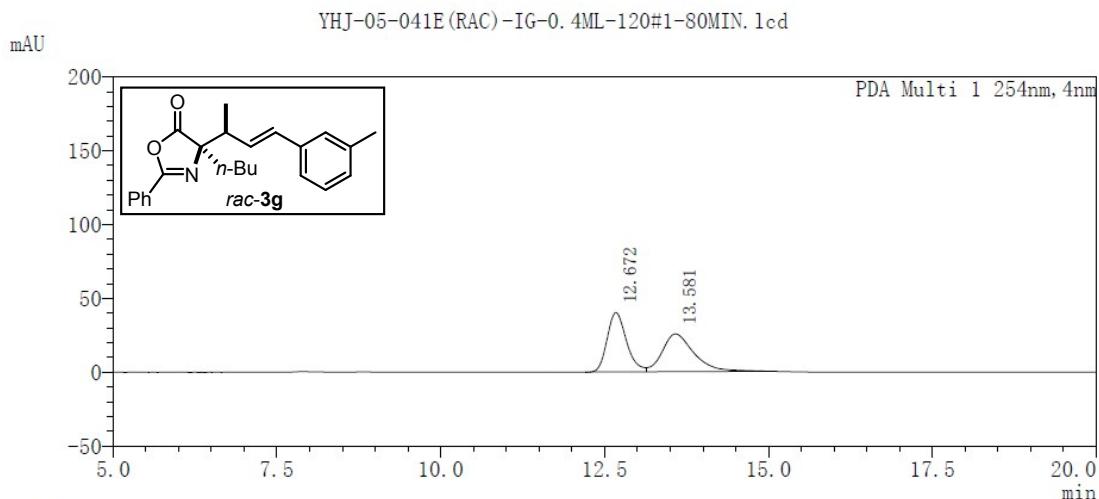
<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.834	11544804	560115	94.449	1.417
2	15.198	678483	28117	5.551	1.311
总计		12223286	588231	100.000	

**Compound 3g: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 120:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 12.658 min,  $t_R$  (minor isomer)

= 13.611 min.

<色谱图>

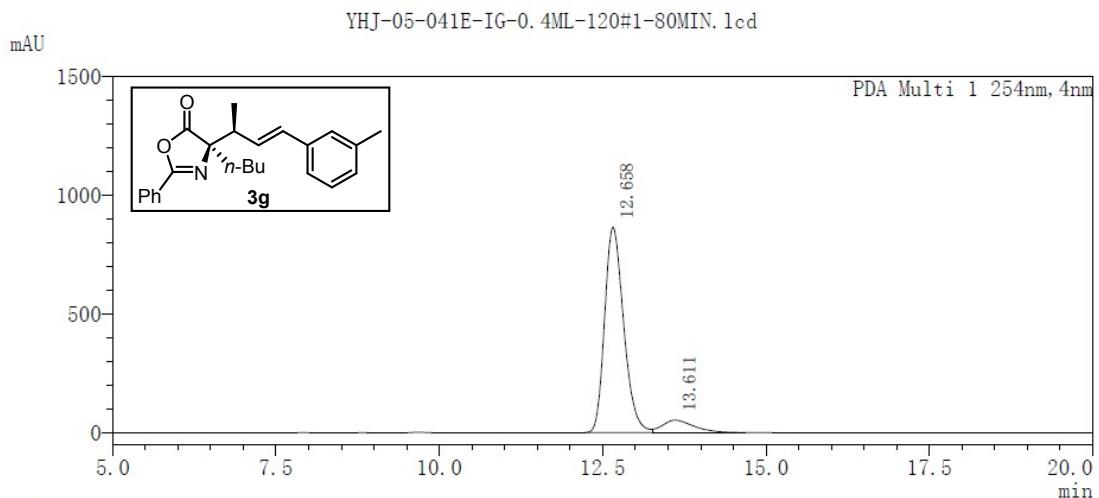


<峰表>

YHJ-05-041E (RAC)-IG-0.4ML-120#1-80MIN. 1cd  
PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.672	827963	40256	48.902	--
2	13.581	865150	25618	51.098	--
总计		1693113	65874	100.000	

<色谱图>



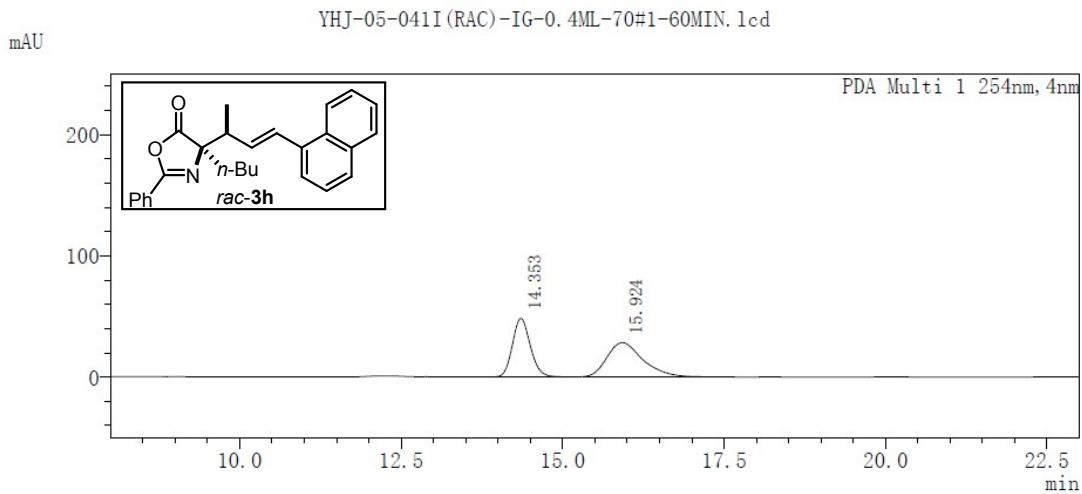
<峰表>

YHJ-05-041E-IG-0.4ML-120#1-80MIN. 1cd  
PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.658	17555693	864663	91.072	1.263
2	13.611	1720969	51857	8.928	--
总计		19276662	916520	100.000	

**Compound 3h: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 14.349 min,  $t_R$  (minor isomer) = 15.943 min.

〈色谱图〉



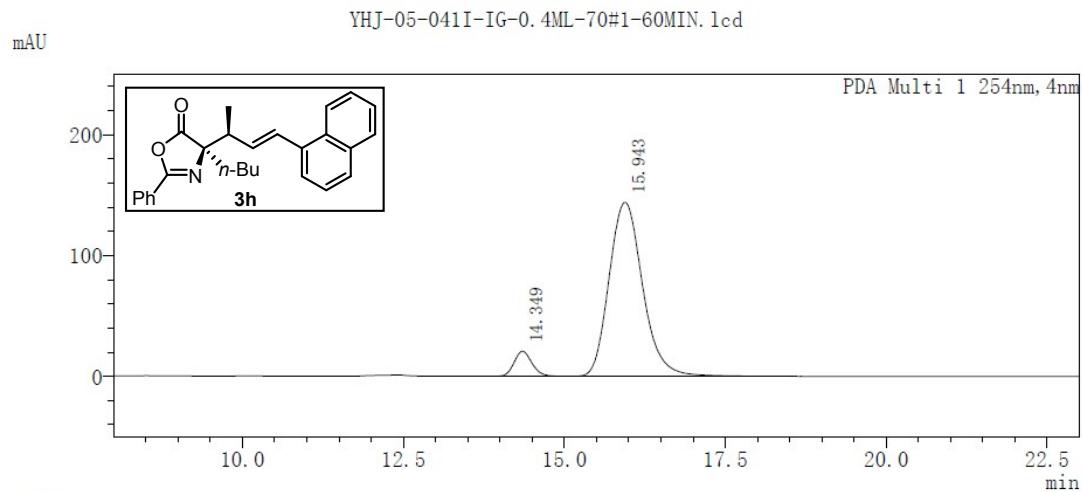
〈峰表〉

PDA Ch1 254nm

YHJ-05-041I (RAC)-IG-0.4ML-70#1-60MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	14.353	925482	48235	46.358	1.144
2	15.924	1070904	28025	53.642	1.335
总计		1996386	76260	100.000	

〈色谱图〉



〈峰表〉

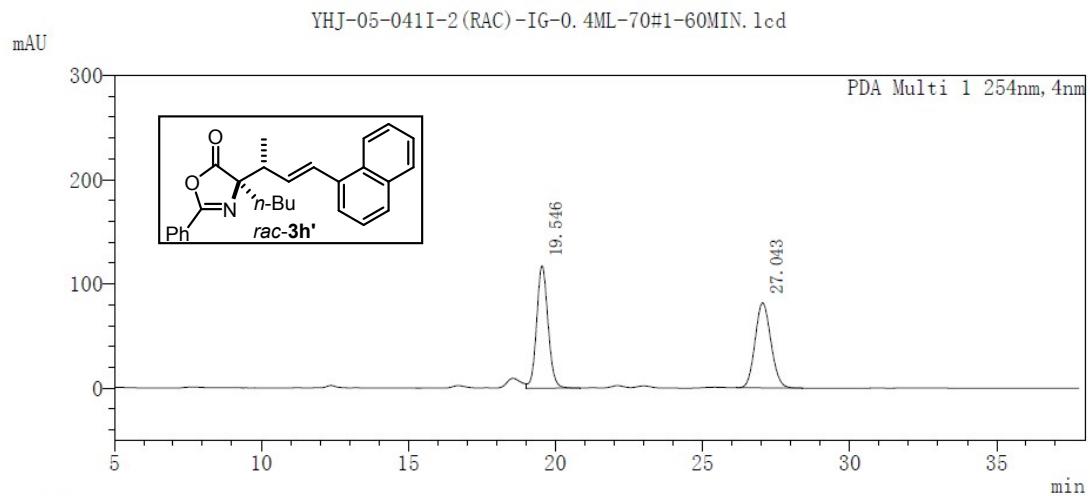
PDA Ch1 254nm

YHJ-05-041I-IG-0.4ML-70#1-60MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	14.349	394923	20607	7.061	1.142
2	15.943	5198107	143899	92.939	1.187
总计		5593030	164507	100.000	

**Compound 3h': HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 26.184 min,  $t_R$  (minor isomer) = 19.278 min.

<色谱图>

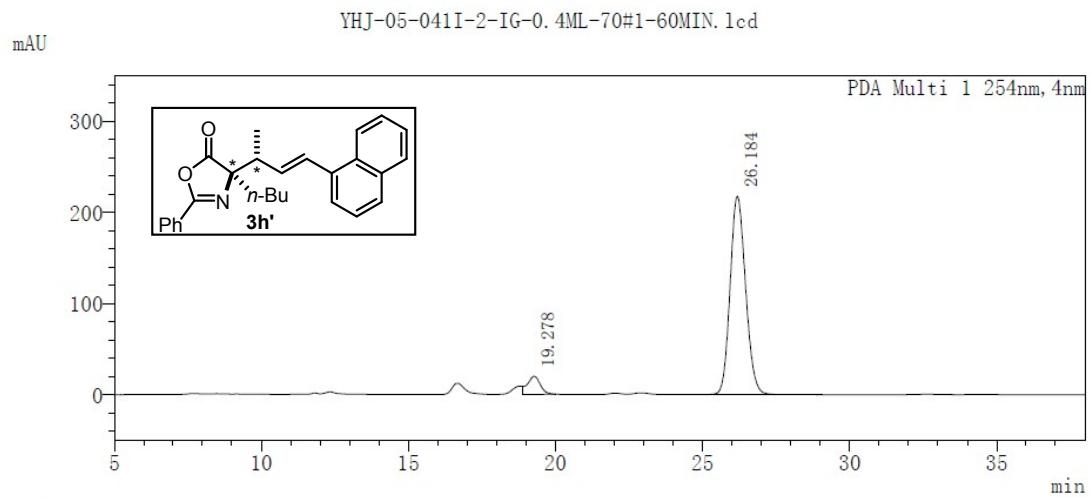


<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	19.546	3161113	117334	50.830	1.085
2	27.043	3057926	81606	49.170	1.108
总计		6219039	198940	100.000	

<色谱图>



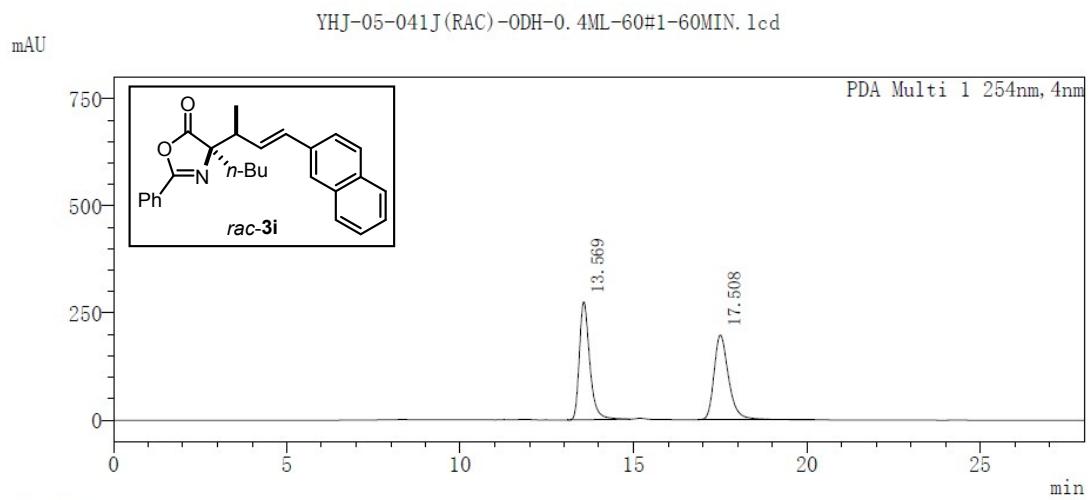
<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	19.278	601606	20041	7.029	—
2	26.184	7957256	217716	92.971	1.135
总计		8558862	237756	100.000	

**Compound 3i: HPLC condition:** Chiralcel OD-H column, *n*-hexane/*i*-PrOH = 60:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 17.445 min,  $t_R$  (minor isomer) = 13.574 min.

<色谱图>

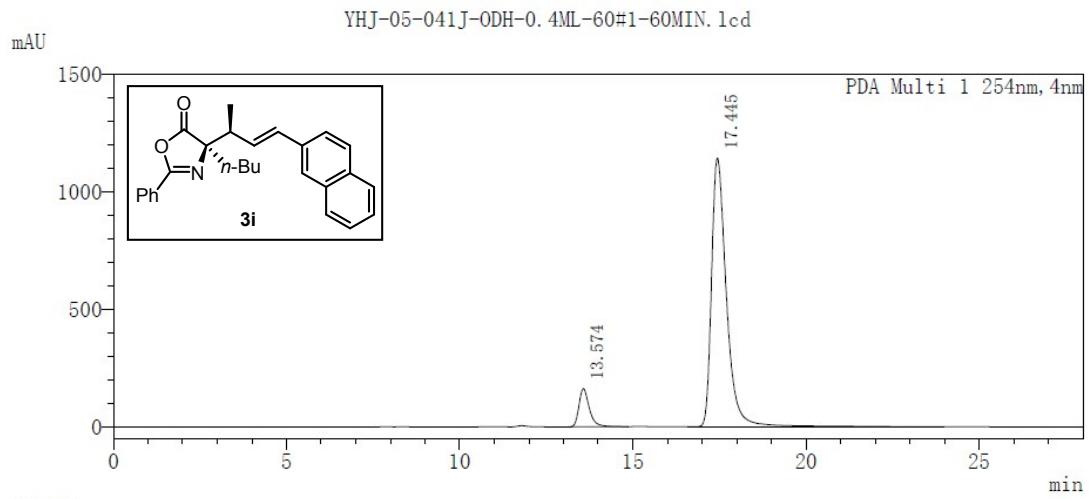


<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.569	5729661	274968	49.777	1.365
2	17.508	5781018	197296	50.223	1.320
总计		11510679	472264	100.000	

<色谱图>



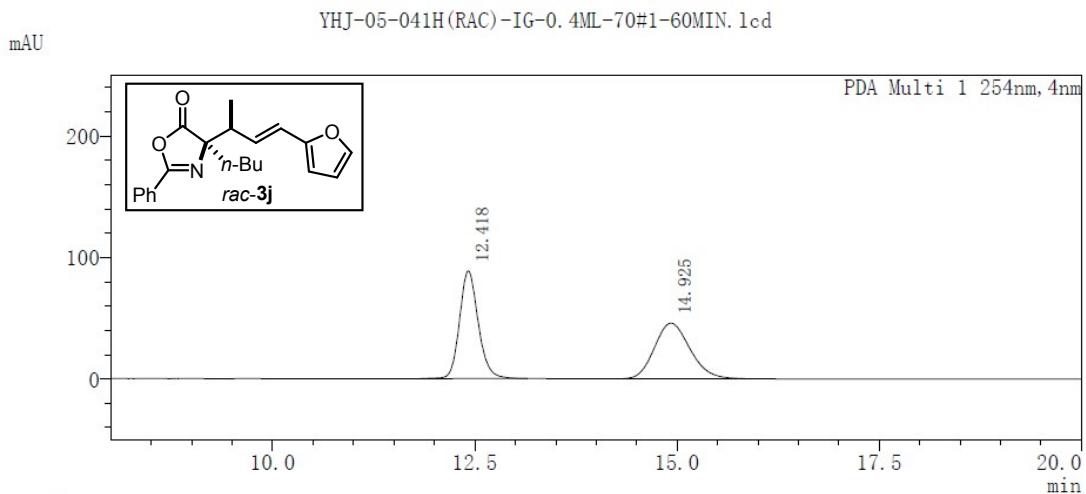
<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.574	3360935	161670	8.927	1.364
2	17.445	34287286	1142909	91.073	1.488
总计		37648221	1304579	100.000	

**Compound 3j: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 12.426 min,  $t_R$  (minor isomer) = 14.934 min.

〈色谱图〉

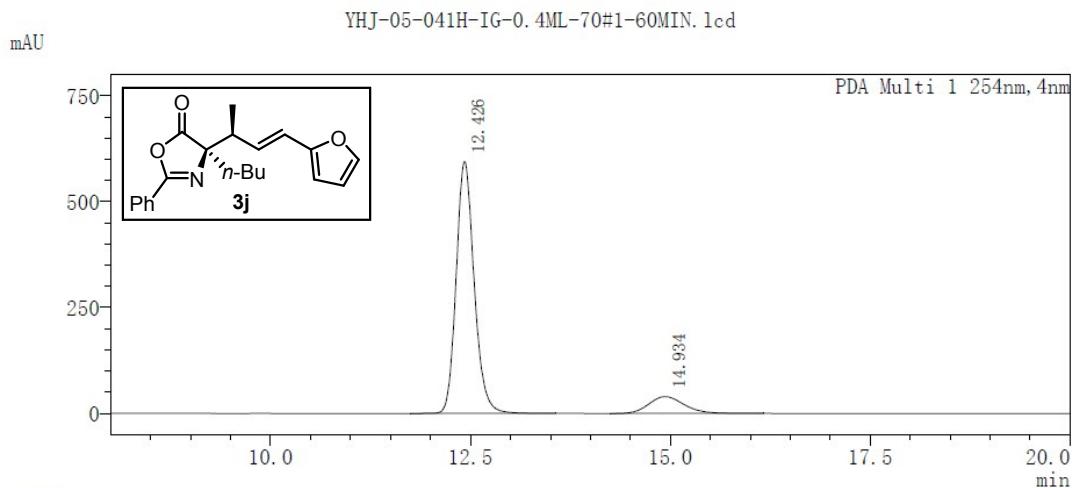


〈峰表〉

YHJ-05-041H(RAC)-IG-0.4ML-70#1-60MIN. lcd  
PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.418	1432259	88763	50.479	1.167
2	14.925	1405065	45796	49.521	1.161
总计		2837324	134560	100.000	

〈色谱图〉



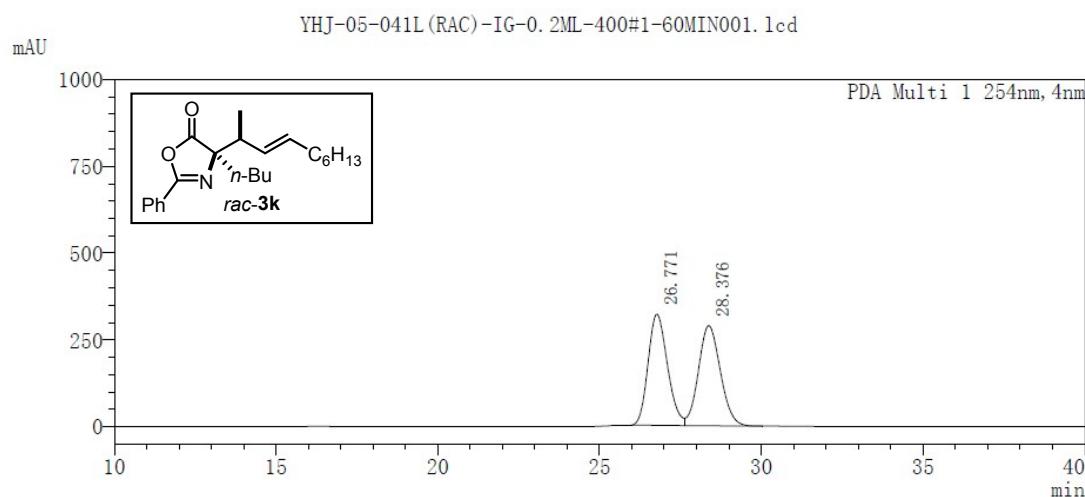
〈峰表〉

YHJ-05-041H-IG-0.4ML-70#1-60MIN. lcd  
PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.426	9307835	594418	88.560	1.178
2	14.934	1202387	39533	11.440	1.169
总计		10510222	633951	100.000	

**Compound 3k: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 400:1, flow rate = 0.2 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 26.791 min,  $t_R$  (minor isomer) = 28.480 min.

<色谱图>

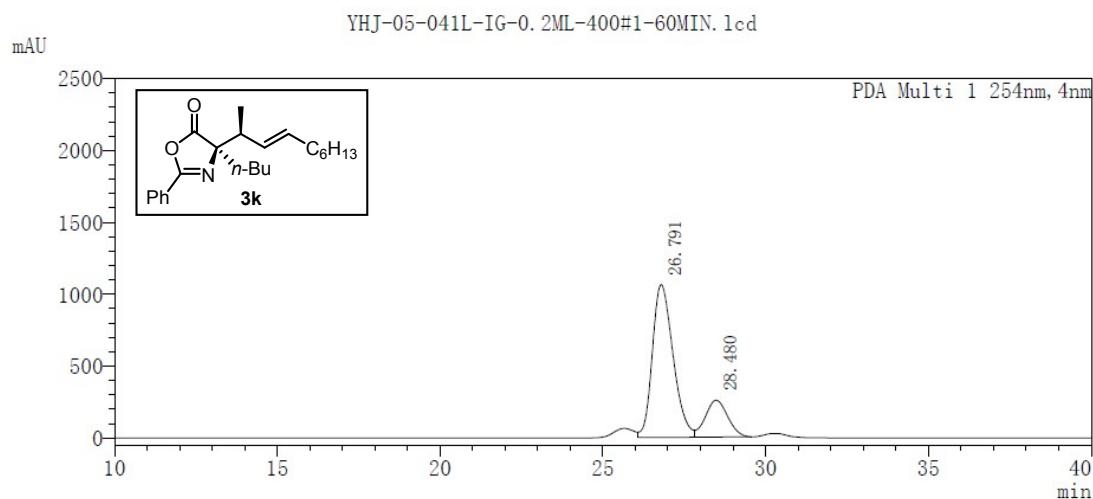


<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	26.771	13338695	320304	49.539	--
2	28.376	13586918	288044	50.461	--
总计		26925613	608348	100.000	

<色谱图>



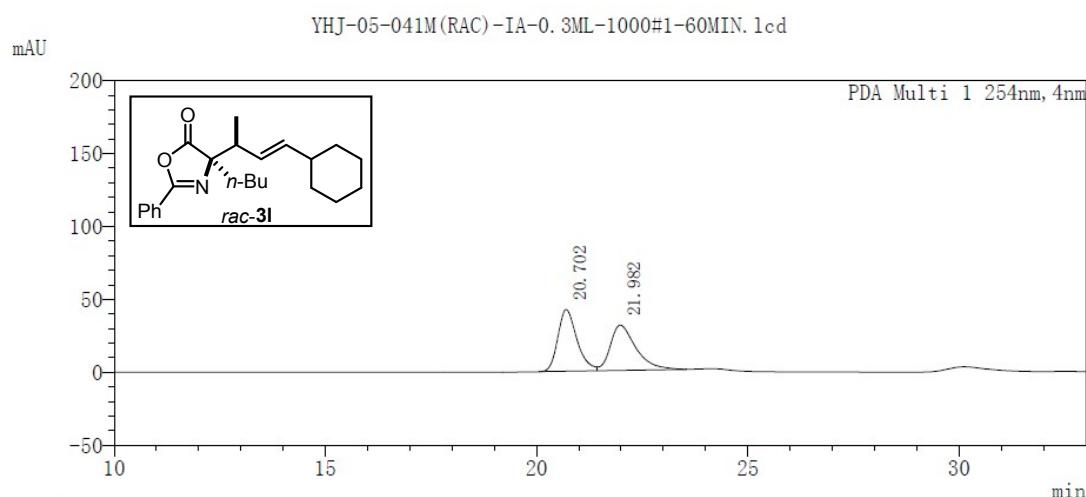
<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	26.791	47001626	1062100	79.453	1.296
2	28.480	12154679	255691	20.547	--
总计		59156304	1317791	100.000	

**Compound 3l:** HPLC condition: Chiralcel IA column, *n*-hexane/*i*-PrOH = 1000:1, flow rate = 0.3 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 21.831 min,  $t_R$  (minor isomer) = 20.737 min.

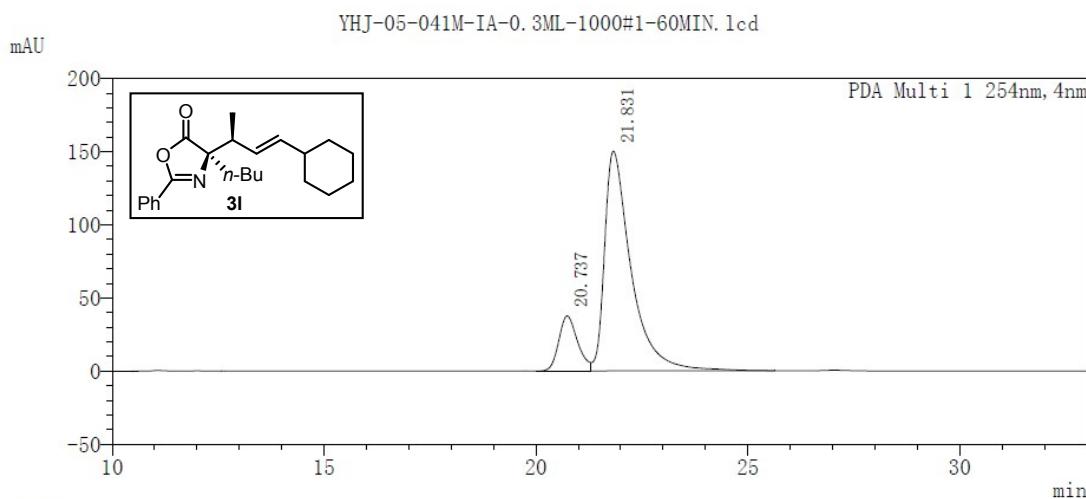
〈色谱图〉



〈峰表〉

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	20.702	1329391	42285	50.375	--
2	21.982	1309619	31055	49.625	--
总计		2639009	73340	100.000	

〈色谱图〉

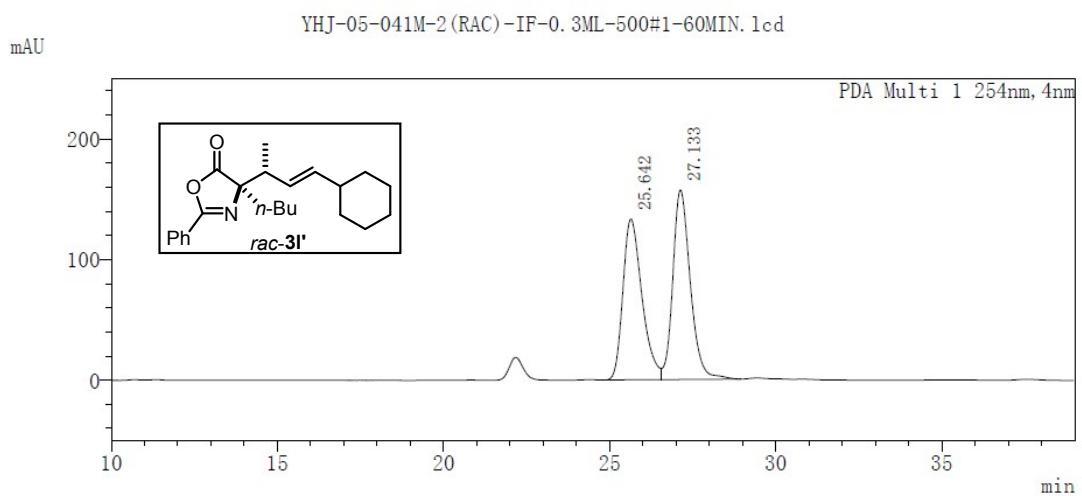


〈峰表〉

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	20.737	1163023	37585	15.107	--
2	21.831	6535344	150045	84.893	1.870
总计		7698367	187630	100.000	

**Compound 3I': HPLC condition:** Chiralcel IF column, *n*-hexane/*i*-PrOH = 500:1, flow rate = 0.3 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 27.468 min,  $t_R$  (minor isomer) = 25.966 min.

〈色谱图〉

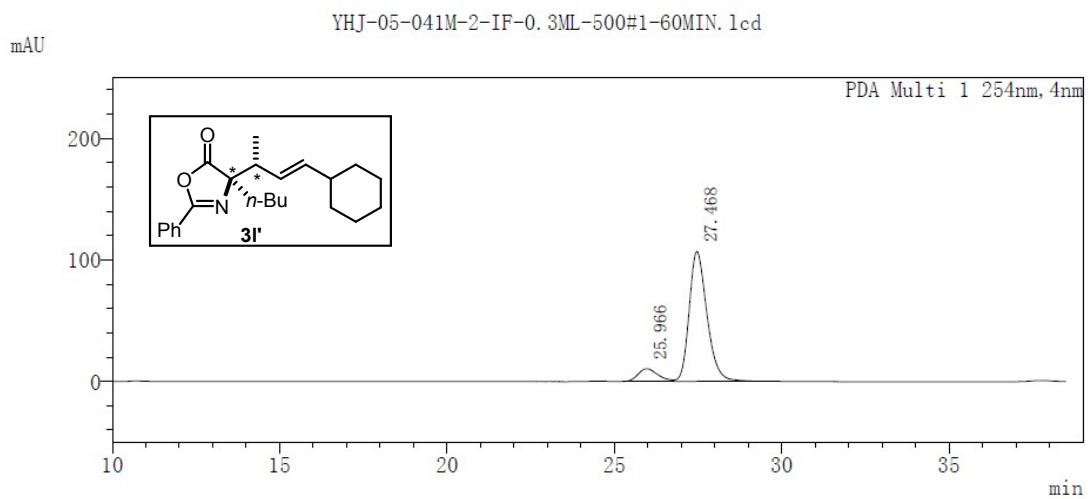


〈峰表〉

PDA Ch1 254nm  
YHJ-05-041M-2 (RAC)-IF-0.3ML-500#1-60MIN. lcd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	25.642	5315525	133327	48.024	-
2	27.133	5752952	157161	51.976	-
总计		11068477	290488	100.000	

〈色谱图〉



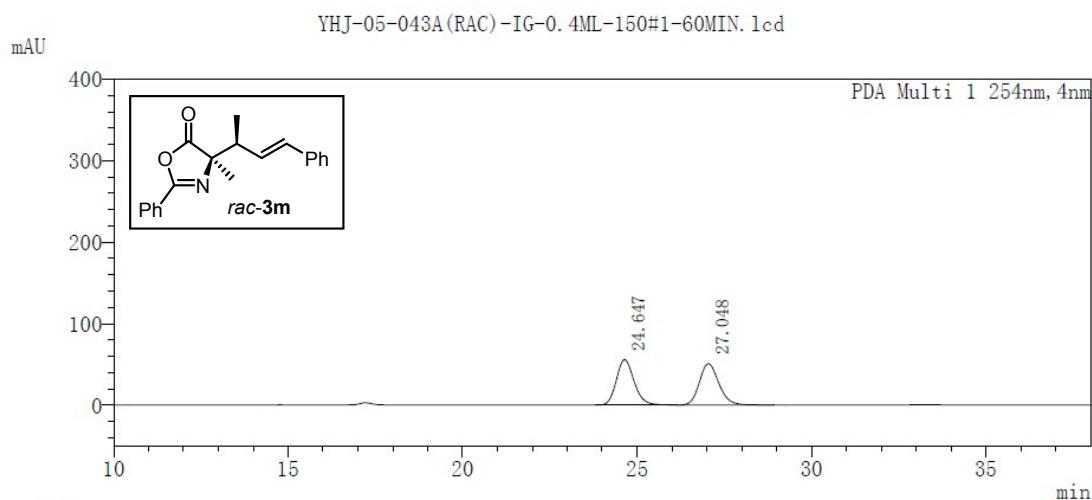
〈峰表〉

PDA Ch1 254nm  
YHJ-05-041M-2-IF-0.3ML-500#1-60MIN. lcd

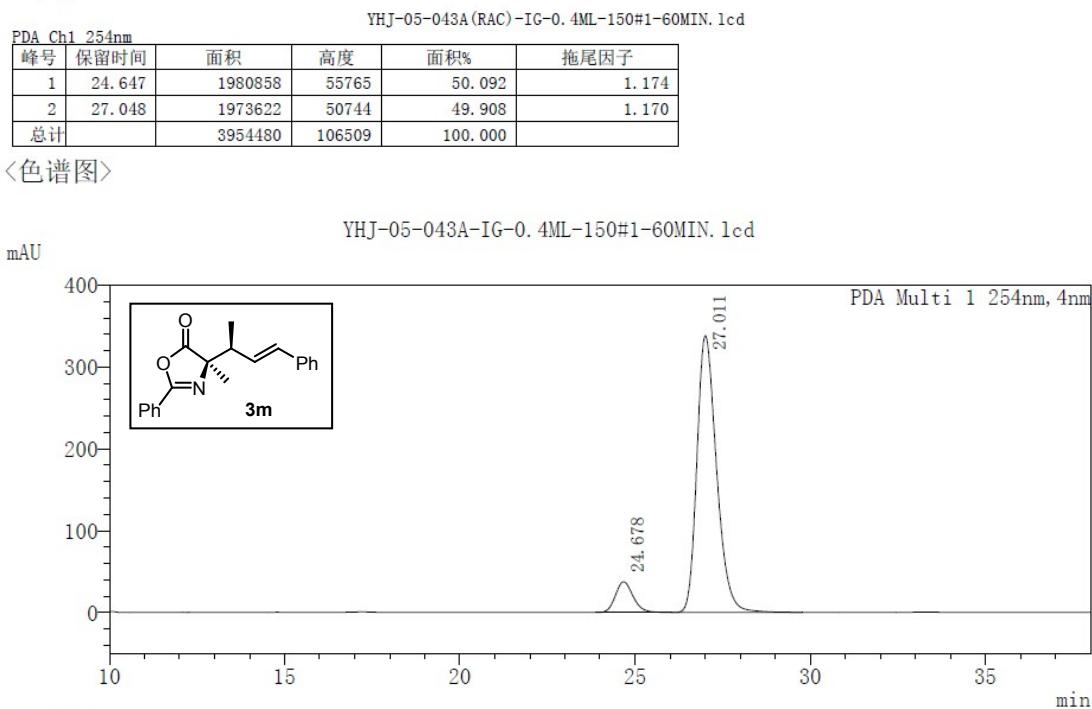
峰号	保留时间	面积	高度	面积%	拖尾因子
1	25.966	428114	10508	9.798	-
2	27.468	3941238	106820	90.202	1.269
总计		4369353	117328	100.000	

**Compound 3m:** HPLC condition: Chiralcel IG column, *n*-hexane/*i*-PrOH = 150:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 27.011 min,  $t_R$  (minor isomer) = 24.678 min.

<色谱图>

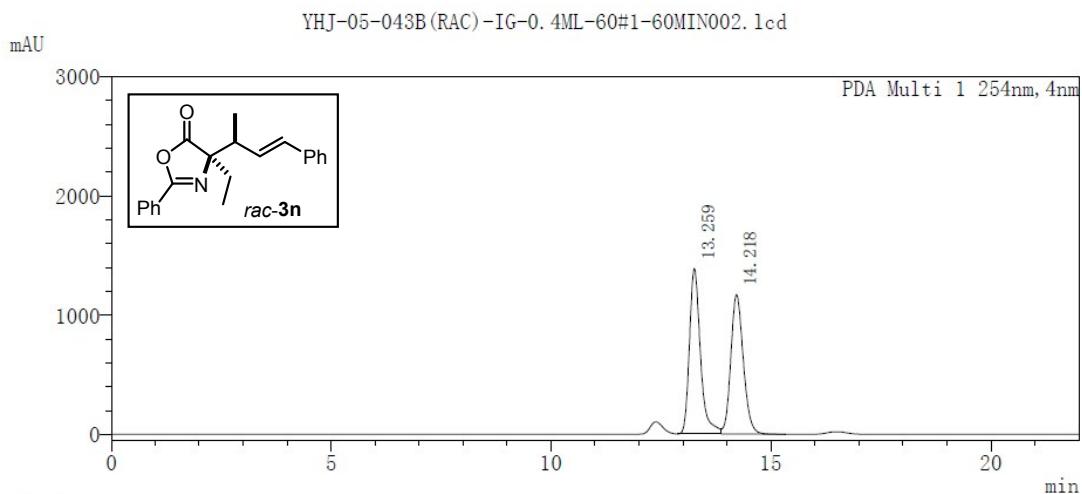


<峰表>



**Compound 3n: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 60:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 13.202 min,  $t_R$  (minor isomer) = 14.180 min.

〈色谱图〉

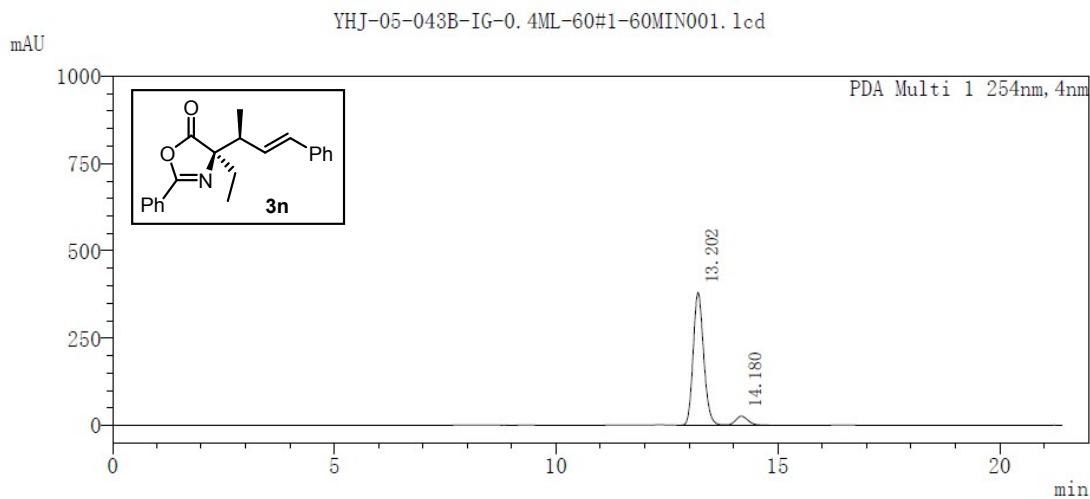


〈峰表〉

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.259	24189575	1388308	51.055	1.452
2	14.218	23189410	1169815	48.945	1.147
总计		47378985	2558122	100.000	

〈色谱图〉



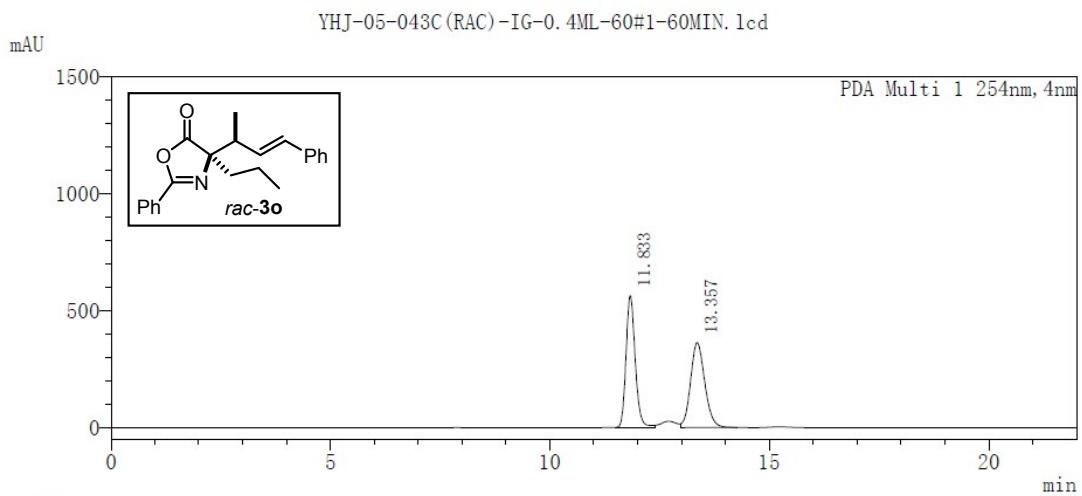
〈峰表〉

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.202	6291787	380295	92.235	1.168
2	14.180	529677	26143	7.765	1.107
总计		6821464	406438	100.000	

**Compound 3o: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 60:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 11.877 min,  $t_R$  (minor isomer) = 13.353 min.

<色谱图>



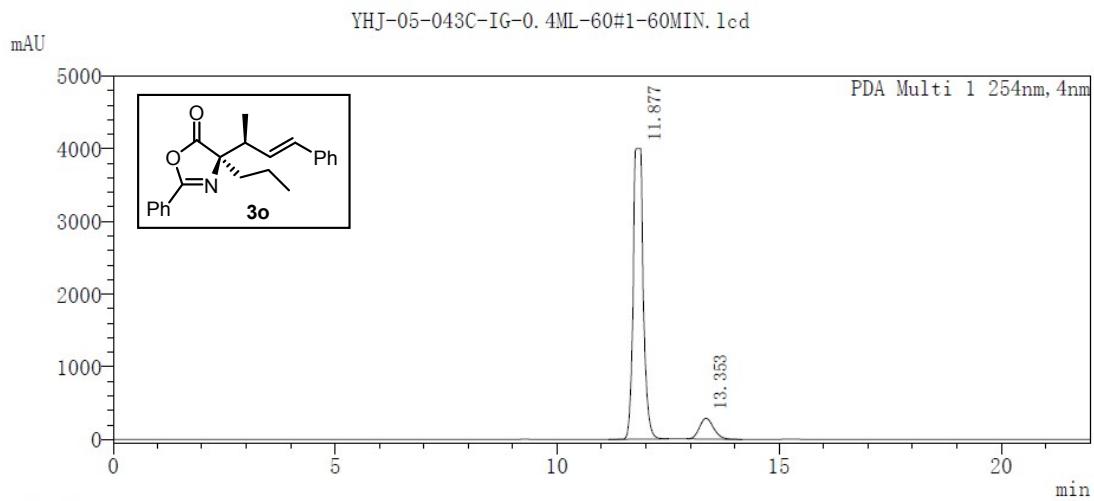
<峰表>

PDA Ch1 254nm

YHJ-05-043C (RAC) -IG-0.4ML-60#1-60MIN. lcd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.833	8162526	562899	49.946	1.177
2	13.357	8180262	363179	50.054	1.096
总计		16342788	926078	100.000	

<色谱图>



<峰表>

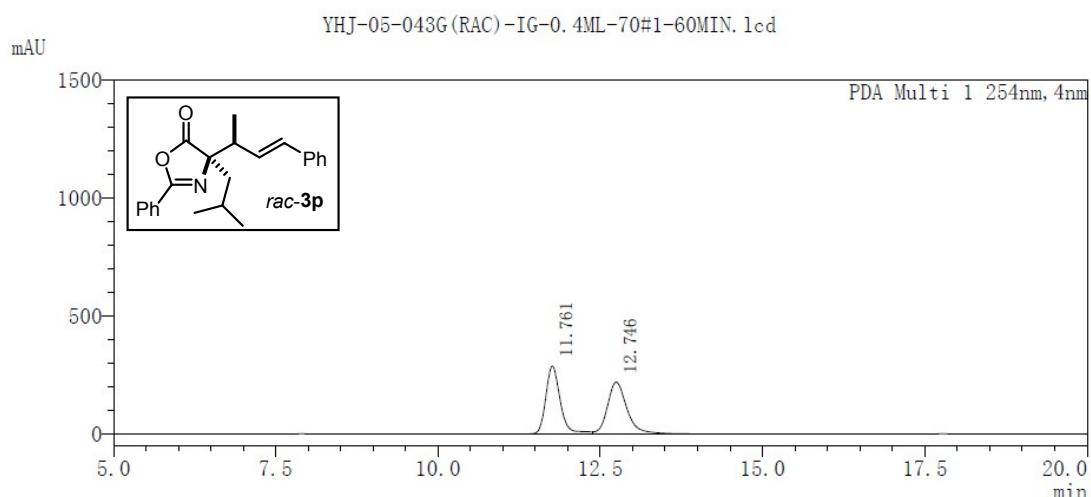
PDA Ch1 254nm

YHJ-05-043C-IG-0.4ML-60#1-60MIN. lcd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.877	63308626	3995550	90.930	0.912
2	13.353	6315114	286644	9.070	1.167
总计		69623740	4282494	100.000	

**Compound 3p: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 11.767 min,  $t_R$  (minor isomer) = 12.745 min.

<色谱图>

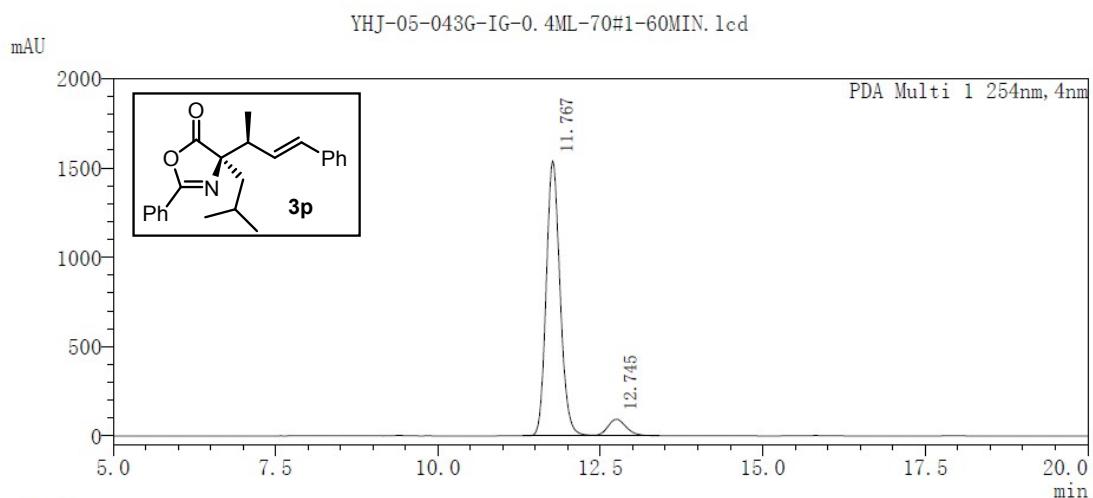


<峰表>

PDA Ch1 254nm  
YHJ-05-043G (RAC)-IG-0.4ML-70#1-60MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.761	4404104	287065	49.265	1.215
2	12.746	4535540	219078	50.735	1.234
总计		8939644	506143	100.000	

<色谱图>



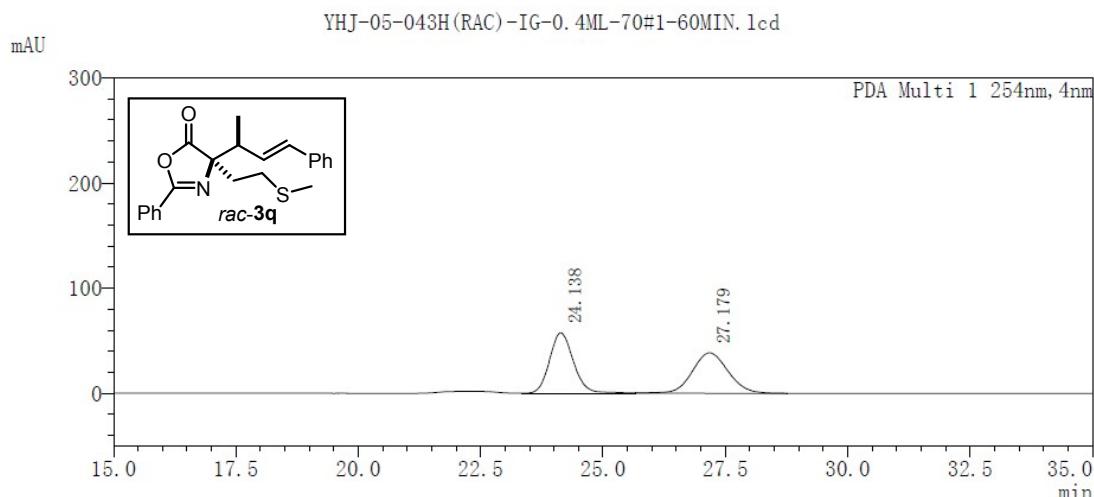
<峰表>

PDA Ch1 254nm  
YHJ-05-043G-IG-0.4ML-70#1-60MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.767	22752072	1538256	92.627	1.152
2	12.745	1811105	92239	7.373	1.142
总计		24563177	1630496	100.000	

**Compound 3q: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 24.110 min,  $t_R$  (minor isomer) = 27.182 min.

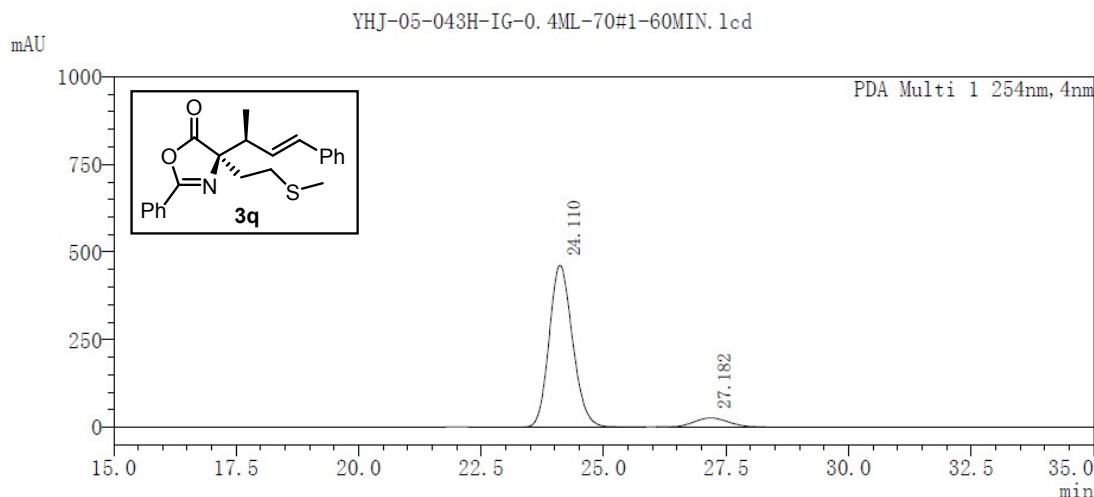
<色谱图>



<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	24.138	1956476	57530	49.908	1.135
2	27.179	1963684	38426	50.092	1.073
总计		3920160	95957	100.000	

<色谱图>

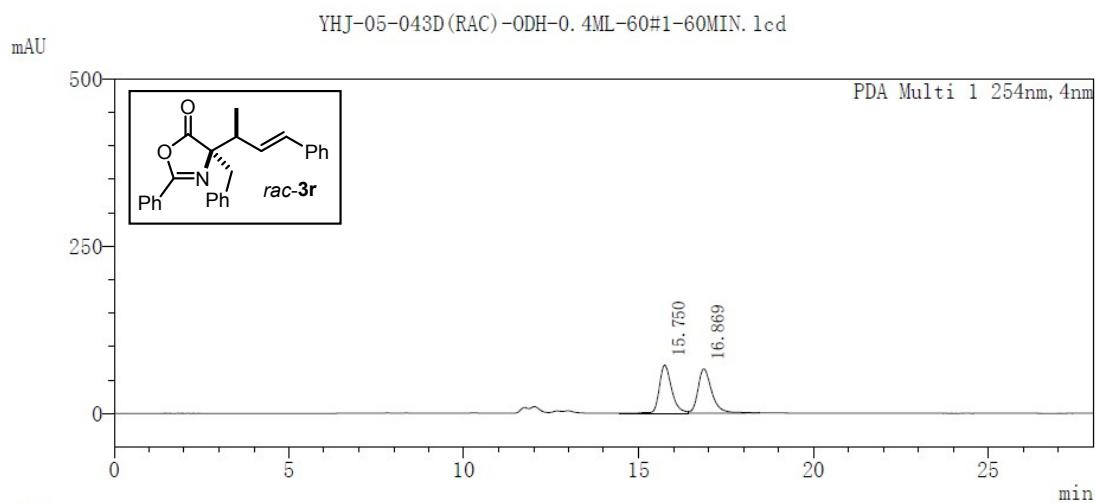


<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	24.110	15467961	462465	92.163	1.160
2	27.182	1315393	26368	7.837	1.101
总计		16783354	488833	100.000	

**Compound 3r: HPLC condition:** Chiralcel OD-H column, *n*-hexane/*i*-PrOH = 60:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 16.807 min,  $t_R$  (minor isomer) = 15.740 min.

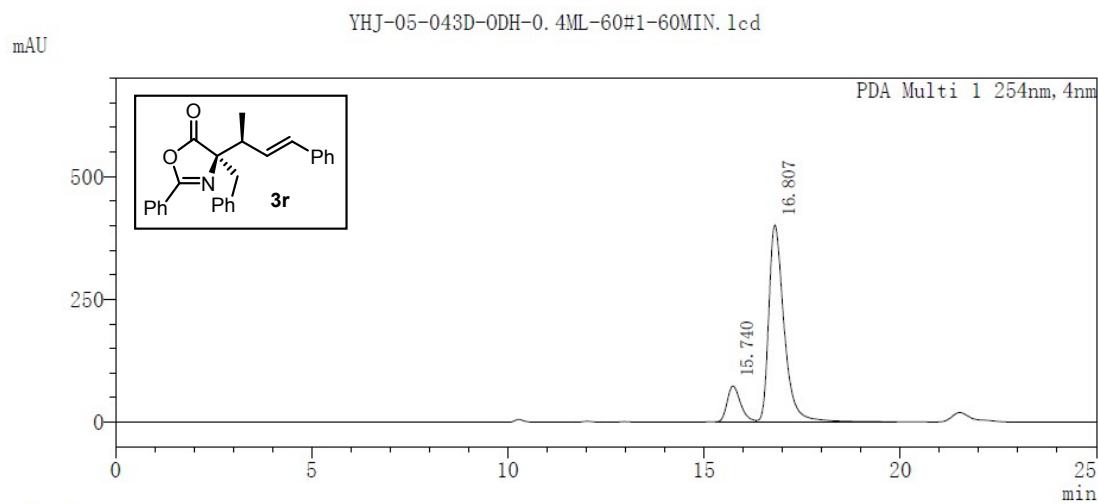
<色谱图>



<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	15.750	1741978	71834	49.149	1.343
2	16.869	1802275	66196	50.851	1.328
总计		3544253	138029	100.000	

<色谱图>

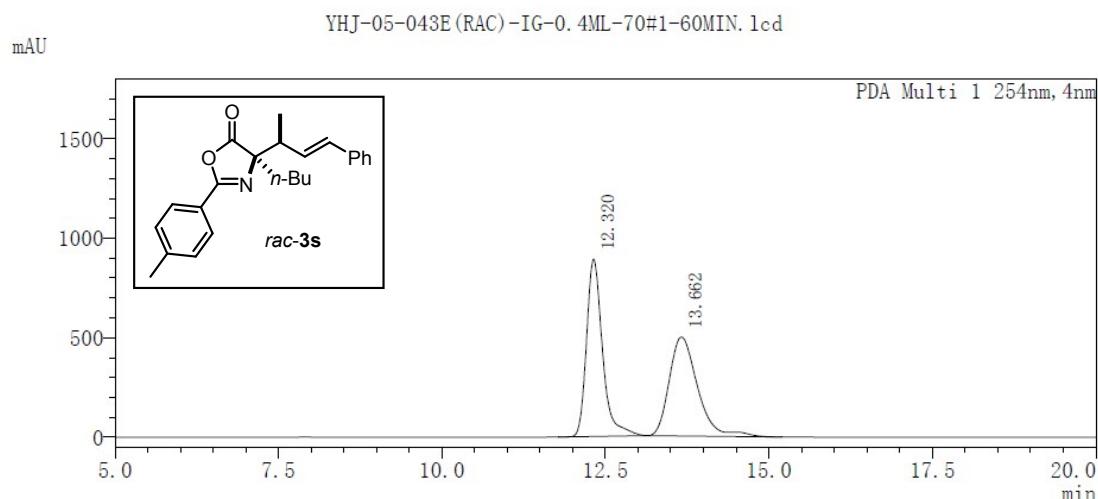


<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	15.740	1725849	72996	13.731	1.334
2	16.807	10843199	399860	86.269	1.475
总计		12569049	472856	100.000	

**Compound 3s: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 12.334 min,  $t_R$  (minor isomer) = 13.704 min.

<色谱图>

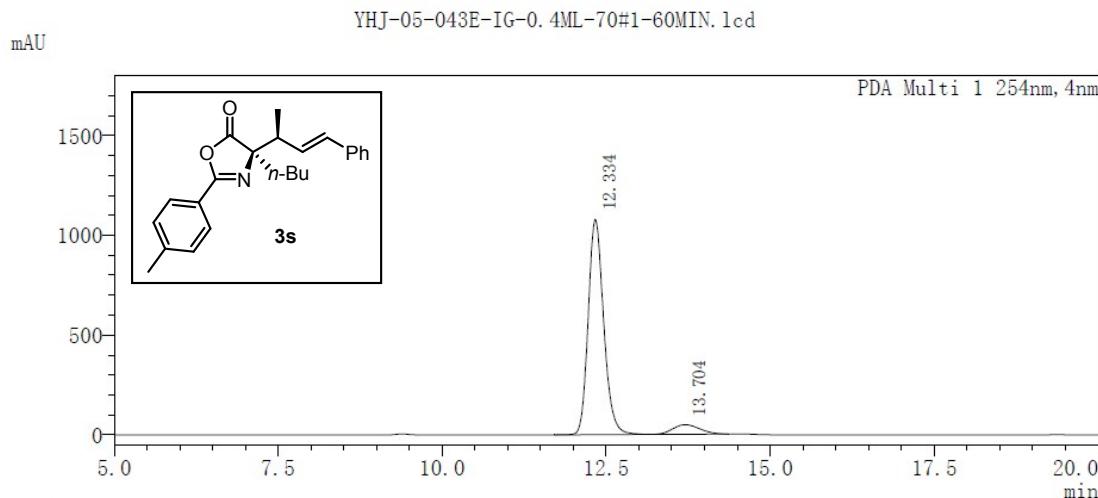


<峰表>

YHJ-05-043E (RAC)-IG-0.4ML-70#1-60MIN. lcd

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.320	15164325	890687	50.324	1.412
2	13.662	14969271	497326	49.676	1.294
总计		30133596	1388013	100.000	

<色谱图>



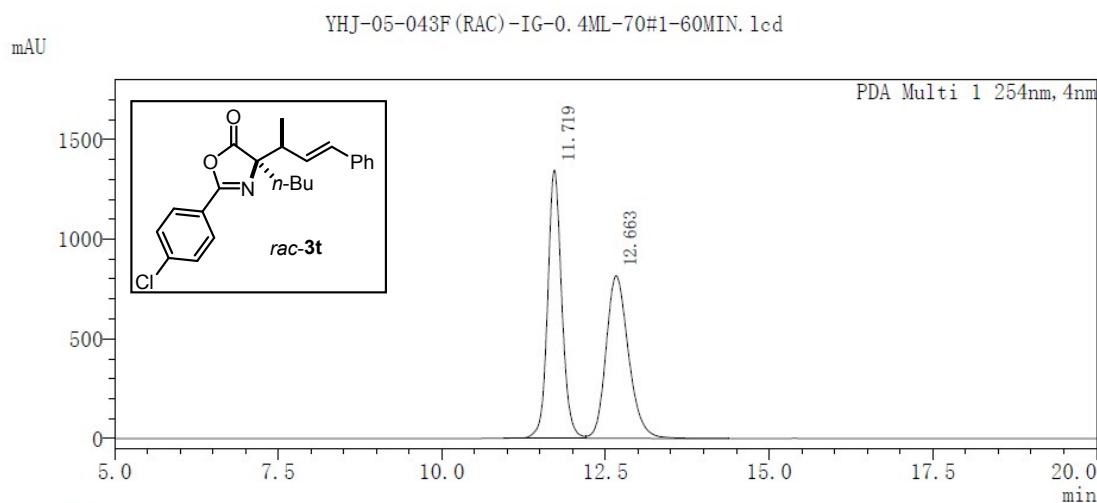
<峰表>

YHJ-05-043E-IG-0.4ML-70#1-60MIN. lcd

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	12.334	17682712	1077869	92.760	1.198
2	13.704	1380193	48213	7.240	1.149
总计		19062905	1126081	100.000	

**Compound 3t: HPLC condition:** Chiralcel IG column, *n*-hexane/*i*-PrOH = 70:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 11.731 min,  $t_R$  (minor isomer) = 12.686 min.

〈色谱图〉

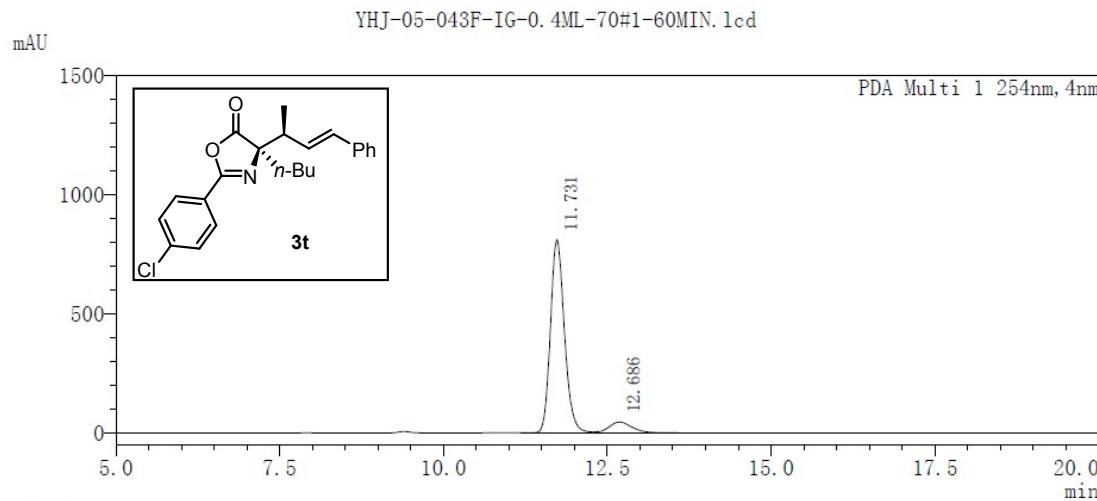


〈峰表〉

PDA Ch1 254nm  
YHJ-05-043F (RAC)-IG-0.4ML-70#1-60MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.719	20110485	1345356	50.770	1.094
2	12.663	19500442	815340	49.230	1.210
总计		39610927	2160696	100.000	

〈色谱图〉



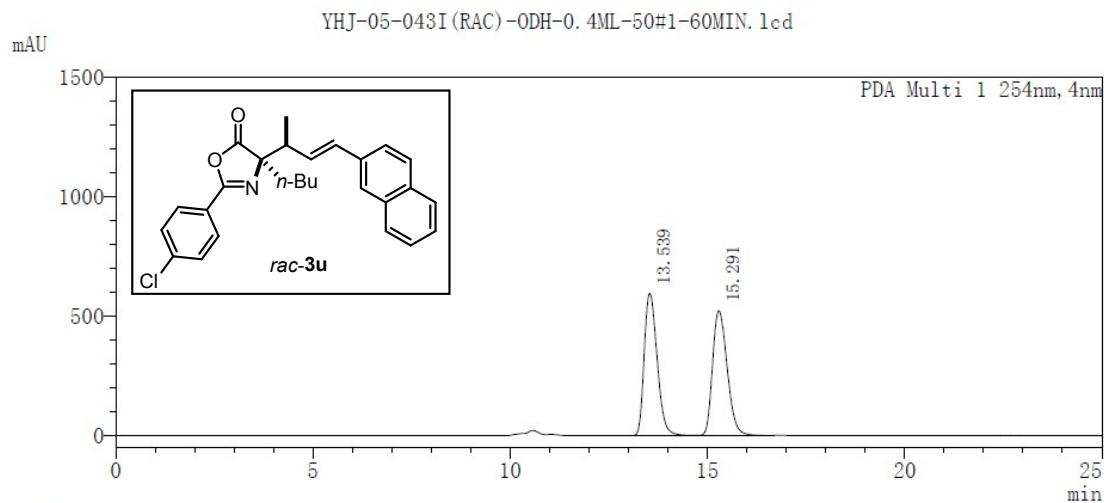
〈峰表〉

PDA Ch1 254nm  
YHJ-05-043F-IG-0.4ML-70#1-60MIN. 1cd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	11.731	12043195	809813	91.638	1.185
2	12.686	1098973	44948	8.362	-
总计		13142168	854761	100.000	

**Compound 3u:** HPLC condition: Chiralcel ODH column, *n*-hexane/*i*-PrOH = 140:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 15.278 min,  $t_R$  (minor isomer) = 13.548 min.

<色谱图>

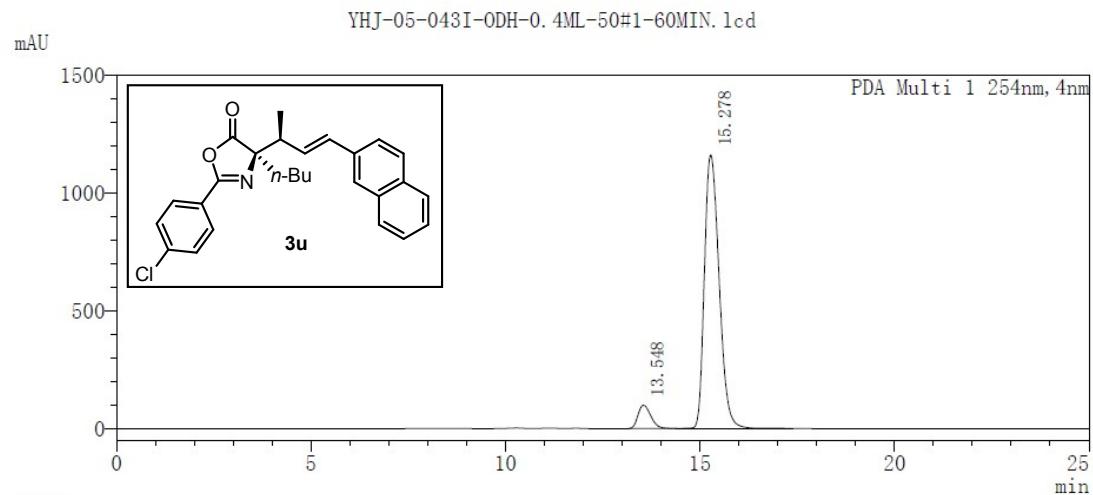


<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.539	13553614	595278	50.186	1.309
2	15.291	13452942	521645	49.814	1.276
总计		27006557	1116923	100.000	

<色谱图>



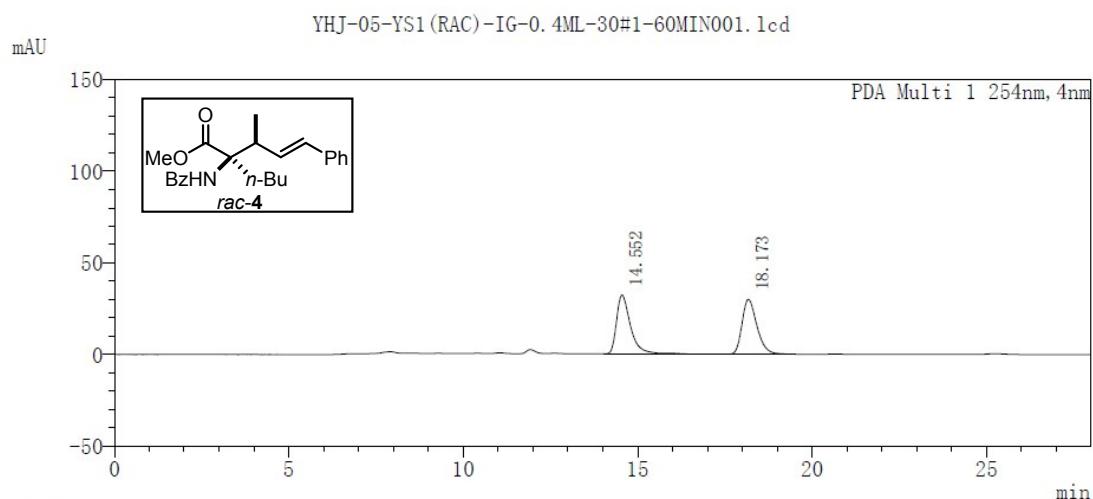
<峰表>

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	13.548	2269204	98770	6.919	1.295
2	15.278	30527795	1160903	93.081	1.294
总计		32797000	1259673	100.000	

**Compound 4:** HPLC condition: Chiralcel ODH column, *n*-hexane/*i*-PrOH = 30:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 18.089 min,  $t_R$  (minor isomer) = 14.520 min.

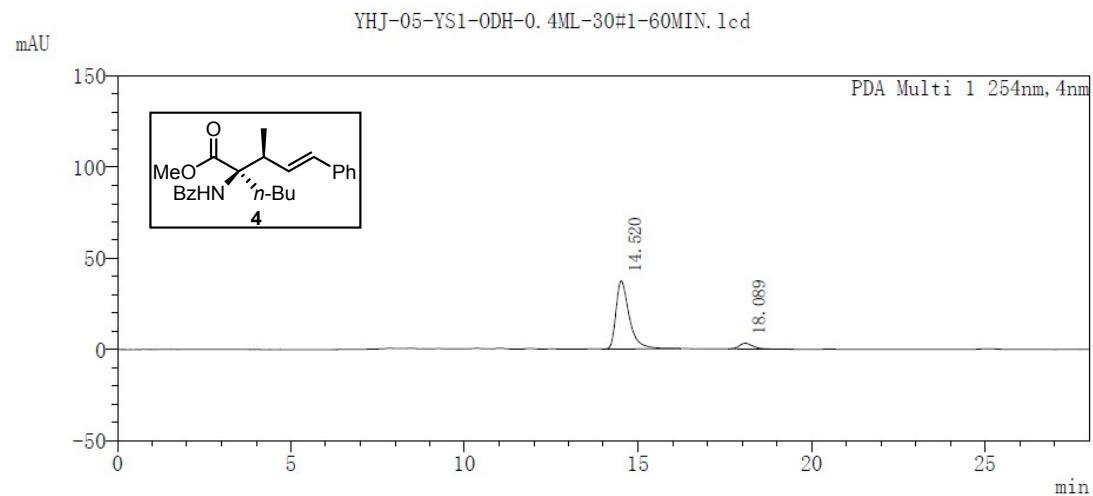
<色谱图>



<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	14.552	899188	32118	50.360	1.573
2	18.173	886321	29948	49.640	1.319
总计		1785510	62066	100.000	

<色谱图>

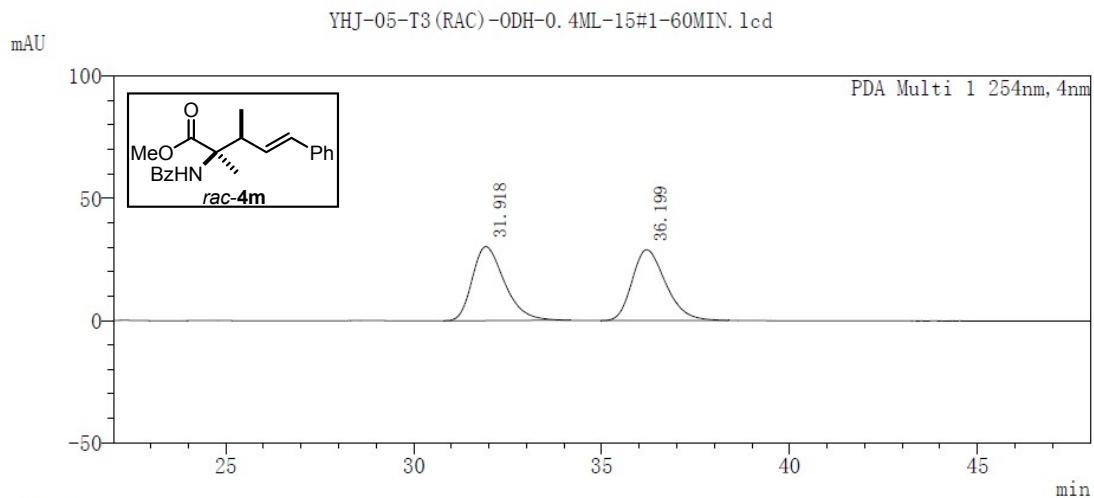


<峰表>

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	14.520	993259	37249	92.039	1.564
2	18.089	85916	3182	7.961	1.306
总计		1079175	40431	100.000	

**Compound 4m:** HPLC condition: Chiralcel ODH column, *n*-hexane/*i*-PrOH = 15:1, flow rate = 0.4 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 31.885 min,  $t_R$  (minor isomer) = 36.699 min.

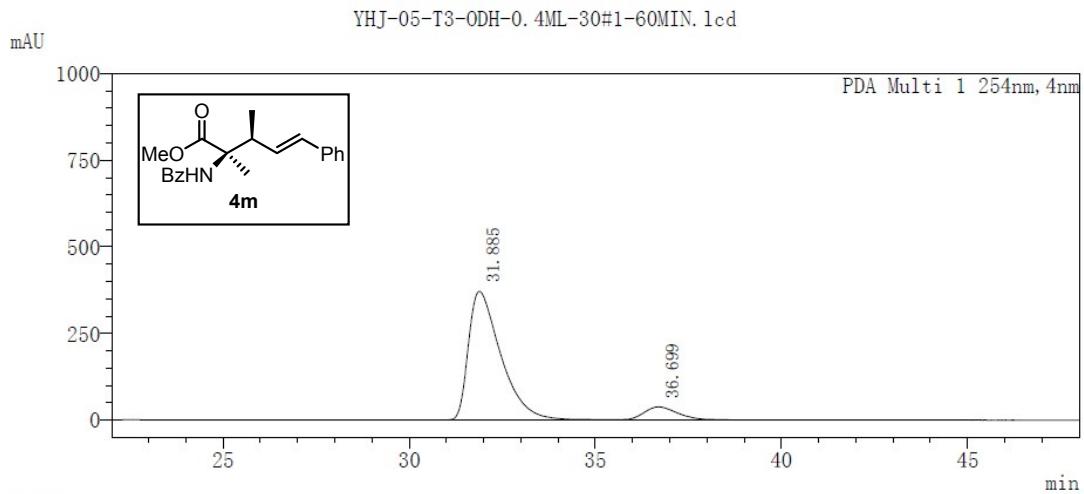
〈色谱图〉



〈峰表〉

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	31.918	1806583	30235	50.197	1.343
2	36.199	1792422	28884	49.803	1.269
总计		3599005	59119	100.000	

〈色谱图〉

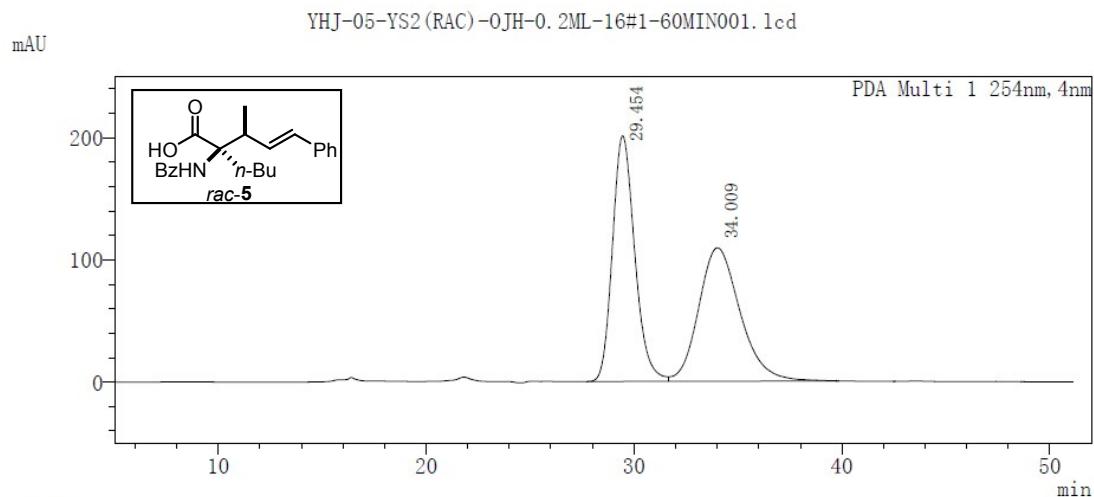


〈峰表〉

PDA Ch1 254nm					
峰号	保留时间	面积	高度	面积%	拖尾因子
1	31.885	22613713	371591	90.361	1.809
2	36.699	2412128	37695	9.639	1.267
总计		25025841	409286	100.000	

**Compound 5: HPLC condition:** Chiralcel OJH column, *n*-hexane/*i*-PrOH = 16:1, 1% CH<sub>3</sub>COOH, flow rate = 0.2 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 34.150 min,  $t_R$  (minor isomer) = 29.512 min.

<色谱图>



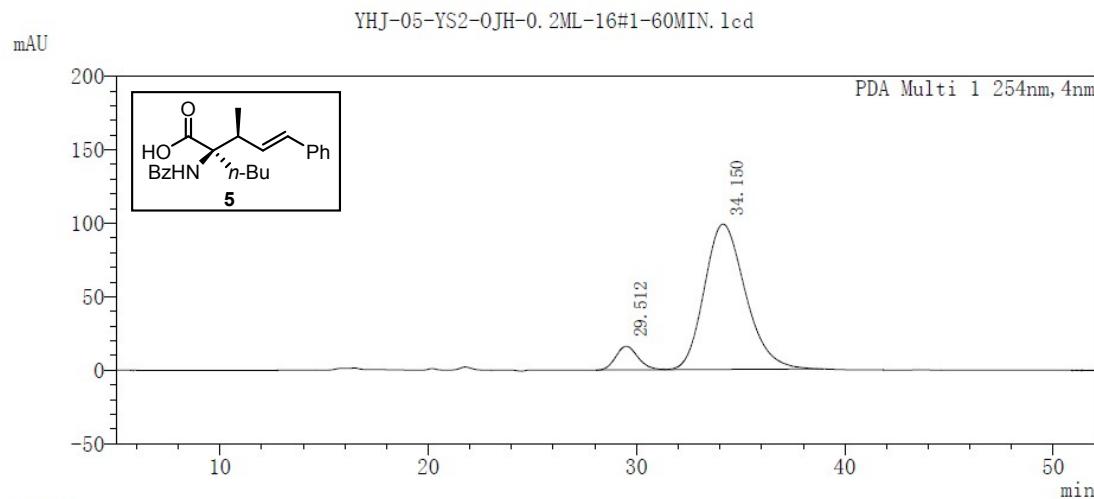
<峰表>

YHJ-05-YS2 (RAC)-0JH-0.2ML-16#1-60MIN001. lcd

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	29.454	14977754	200843	49.747	1.217
2	34.009	15129999	109142	50.253	1.203
总计		30107754	309984	100.000	

<色谱图>



<峰表>

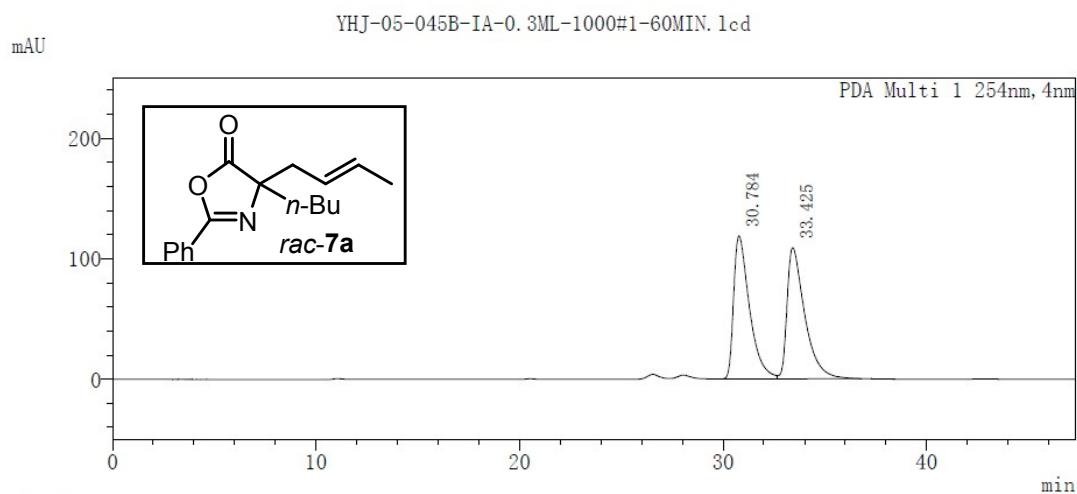
YHJ-05-YS2-0JH-0.2ML-16#1-60MIN. lcd

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	拖尾因子
1	29.512	1179417	16038	7.989	1.140
2	34.150	13582943	98754	92.011	1.220
总计		14762359	114791	100.000	

**Compound 7a:** HPLC condition: Chiralcel IA column, *n*-hexane/*i*-PrOH = 16:1, 1% CH<sub>3</sub>COOH, flow rate = 0.2 mL/min,  $\lambda$  = 254 nm,  $t_R$  (major isomer) = 31.647 min,  $t_R$  (minor isomer) = 34.624 min.

<色谱图>

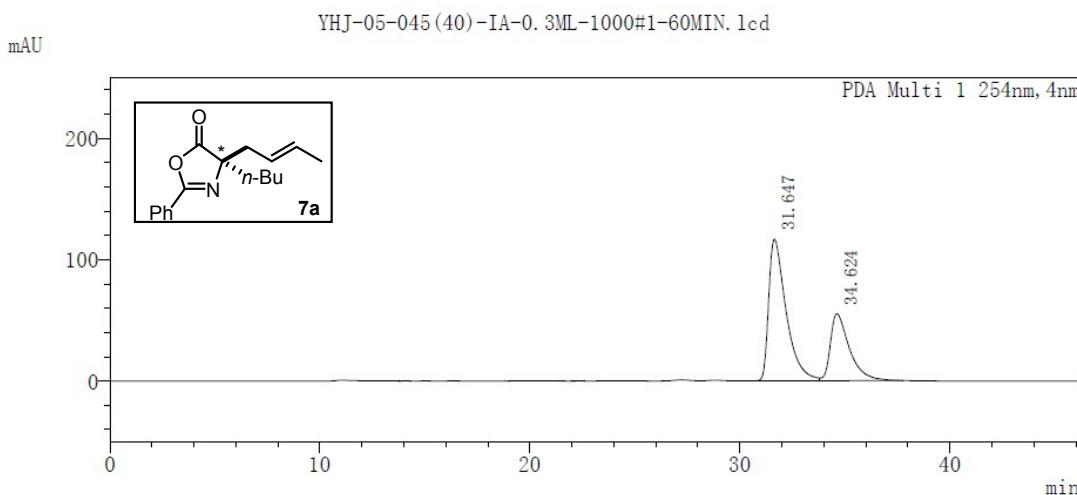


<峰表>

PDA Ch1 254nm  
YHJ-05-045B-IA-0.3ML-1000#1-60MIN. lcd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	30.784	6443014	118680	49.501	1.866
2	33.425	6572914	108834	50.499	1.924
总计		13015928	227514	100.000	

<色谱图>



<峰表>

PDA Ch1 254nm  
YHJ-05-045 (40)-IA-0.3ML-1000#1-60MIN. lcd

峰号	保留时间	面积	高度	面积%	拖尾因子
1	31.647	6721352	116612	65.967	1.932
2	34.624	3467546	55145	34.033	1.718
总计		10188897	171758	100.000	