

Supporting Information

**Photocatalytic 3D Skeletal Editing of Carboxylic Acids via [4+1] Cyclization to Streamlined Synthesis of  
Unsaturated  $\gamma$ -Lactams**

Jiahui Yu<sup>†</sup>, Xiaohong Li<sup>†\*</sup>, Liangbin Huang<sup>†\*</sup>

<sup>†</sup>Key Laboratory of Functional Molecular Engineering of Guangdong Province, School of Chemistry and Chemical  
Engineering, South China University of Technology, Guangzhou 510641, China

Email: lxh@scut.edu.cn, [huanglb@scut.edu.cn](mailto:huanglb@scut.edu.cn)

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## 1. General Information

Unless specified otherwise, all experiments were performed under Ar atmosphere. All reagents and starting materials were purchased from commercial suppliers and used as received.  $^1\text{H}$ ,  $^{13}\text{C}$  NMR spectra were recorded on Bruker Avance III 400 (400 MHz for  $^1\text{H}$ ; 101 MHz for  $^{13}\text{C}$ ),  $^1\text{H}$  NMR and  $^{13}\text{C}$  NMR chemical shifts were determined relative to internal standard TMS at  $\delta$  0.0. Chemical shifts ( $\delta$ ) are reported in ppm, and coupling constants ( $J$ ) are in Hertz (Hz). The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, dt = doublet of triplet, m = multiplet, br s = broad singlet. MS were performed on Bruker Agilent 1100/Esquire HCT PLUS mass spectrometer. The HRMS measurements were recorded on a FTMS analyzer using an ESI source in the positive mode. The crystals were collected at 100 K on a Rigaku Oxford Diffraction Supernova Dual Source, Mo at Zero equipped with an AtlasS2 CCD using Mo  $\text{K}\alpha$  radiation. Melting points were determined using a hot stage apparatus. Column chromatography was performed on silica gel (200–300 mesh) with mixtures of petroleum ether and ethyl acetate as the eluent.

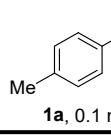
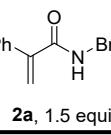
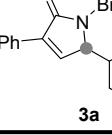
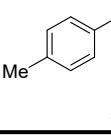
## 2. Reaction optimization

**Table S1.** Screening of Solvents.<sup>[a]</sup>

Entry	Solvents (1 mL)	Yield of <b>3a</b> (%) <sup>[b]</sup>	Yield of <b>3a'</b> (%) <sup>[b]</sup>
1	MeCN	30	47
2	DCE	48	35
3	DCM	39	35
4	CHCl <sub>3</sub>	50	37
5	PhCl	26	44
6	CHF <sub>3</sub>	12	33
7	toluene	trace	trace
8	EA	20	30
9	DMSO	trace	trace
10	DCE:H <sub>2</sub> O = 9:1	35	51
11	DCE:toluene = 1:1	33	56
12	DCE:EA = 1:1	45	52
13	DCE (2 mL)	49	37

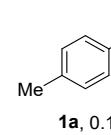
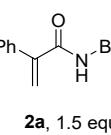
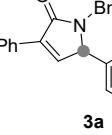
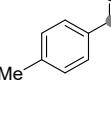
<sup>[a]</sup> Reactions were performed on **1a** (0.10 mmol), **2a** (0.15 mmol),  $[\text{Ir}(\text{dFCF}_3\text{bpy})_2\text{dtbbpy}]\text{PF}_6$  (1 mol%),  $\text{PPh}_3$  (1.2 equiv), and  $\text{TsOH}\cdot\text{H}_2\text{O}$  (10 mol%) in 1.0–2.0 mL solvents. <sup>[b]</sup> The yields were determined by GC with dodecane as internal standard.

**Table S2.** Screening of Brønsted and Lewis acid.<sup>[a]</sup>

		[Ir(dFCF <sub>3</sub> bpy) <sub>2</sub> dtbbpy]PF <sub>6</sub> (1 mol%) PPh <sub>3</sub> (1.2 equiv) acid (10 mol%), DCE blue LEDs, rt, 12 h		
1a, 0.1 mmol	2a, 1.5 equiv		3a	3a'
Entry	Brønsted acid (10 mol%)		Yield of 3a (%) <sup>[b]</sup>	Yield of 3a' (%) <sup>[b]</sup>
1	none		28	38
2	HCl		28	42
3	H <sub>2</sub> SO <sub>4</sub>		42	50
4	H <sub>3</sub> PO <sub>4</sub>		44	47
5	TfOH		26	33
6	MeSO <sub>3</sub> H		n. d.	n. d.
7	TsOH		47	42
8	ZnCl <sub>2</sub>		25	60
9	B(C <sub>6</sub> F <sub>5</sub> ) <sub>3</sub>		40	42

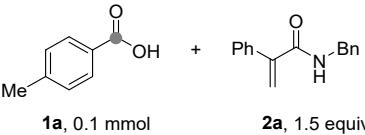
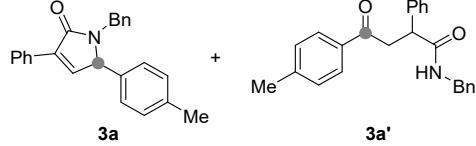
<sup>[a]</sup> Reactions were performed on **1a** (0.10 mmol), **2a** (0.15 mmol), [Ir(dFCF<sub>3</sub>bpy)<sub>2</sub>dtbbpy]PF<sub>6</sub> (1 mol%), PPh<sub>3</sub> (1.2 equiv), and TsOH·H<sub>2</sub>O (10 mol%) in 1.0 mL DCE. <sup>[b]</sup> The yields were determined by GC with dodecane as intenal standard.

**Table S3.** Screening of time and Temperature.<sup>[a]</sup>

		[Ir(dFCF <sub>3</sub> bpy) <sub>2</sub> dtbbpy]PF <sub>6</sub> (1 mol%) PPh <sub>3</sub> (2.0 equiv) TsOH·H <sub>2</sub> O (10 mol%), DCE blue LEDs, T °C, t h		
1a, 0.1 mmol	2a, 1.5 equiv		3a	3a'
Entry	T /°C	t /h	Yield of 3a (%) <sup>[b]</sup>	Yield of 3a' (%) <sup>[b]</sup>
1	60	12 h	53	34
2	80	12 h	53	37
3	80	24 h	75	12
4	80	36 h	70	12
5	100	12 h	56	40
6	100	24 h	63	32
7	100	48 h	60	30

<sup>[a]</sup> Reactions were performed on **1a** (0.10 mmol), **2a** (0.15 mmol), [Ir(dFCF<sub>3</sub>bpy)<sub>2</sub>dtbbpy]PF<sub>6</sub> (1 mol%), PPh<sub>3</sub> (2.0 equiv), and TsOH·H<sub>2</sub>O (10 mol%) in 1.0 mL DCE. <sup>[b]</sup> The yields were determined by GC with dodecane as intenal standard.

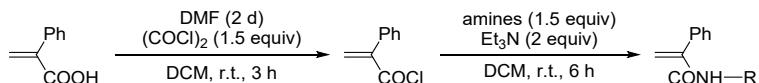
**Table S4.** Screening of Photocatalyst.<sup>[a]</sup>

		PC (1 mol%) PPh <sub>3</sub> (2.0 equiv)	
Entry	T /°C	Yield of 3a (%) <sup>[b]</sup>	Yield of 3a' (%) <sup>[b]</sup>
1	fac-Ir(ppy) <sub>3</sub>	n.d.	n.d.
2	Ru(bpy) <sub>3</sub> (PF <sub>6</sub> ) <sub>2</sub>	n.d.	n.d.
3	Eosin Y	n.d.	n.d.
4	Acr <sup>+</sup> - MesClO <sub>4</sub> <sup>-</sup>	n.d.	n.d.
5	4-CzIPN	n.d.	n.d.

<sup>[a]</sup> Reactions were performed on **1a** (0.10 mmol), **2a** (0.15 mmol), [Ir(dFCF<sub>3</sub>bpy)<sub>2</sub>dtbbpy]PF<sub>6</sub> (1 mol%), PPh<sub>3</sub> (2.0 equiv), and TsOH•H<sub>2</sub>O (10 mol%) in 1.0 mL DCE. <sup>[b]</sup> The yields were determined by GC with dodecane as internal standard.

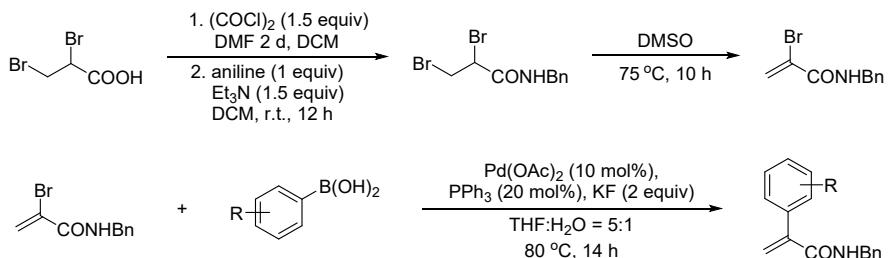
### 3. Syntheses of Starting Materials

#### Method A:



Under an ambient atmosphere, commercially available 2-phenylacrylic acid (1.48 g, 10 mmol, 1.0 equiv) was dissolved in dichloromethane (20 mL,  $c = 0.5$  M) in a 100 mL round-bottom flask equipped with a PTFE-coated magnetic stir bar. Oxalyl chloride (1.3 mL, 15.0 mmol, 1.5 equiv) was then added dropwise at room temperature, followed by the addition of two drops of DMF. Upon DMF addition, vigorous gas evolution was immediately observed with bubble formation, accompanied by complete dissolution of the solid. After 3 hours of reaction, the initially colorless solution turned into a clear yellow liquid. The reaction mixture was concentrated under reduced pressure to afford a bright yellow liquid. The residue was redissolved in anhydrous dichloromethane to form a clear pale-yellow solution, which was then cooled in an ice bath. Benzylamine (15 mmol, 1.5 equiv) was added dropwise to the cooled solution, followed by dropwise addition of triethylamine (20 mmol, 2.0 equiv). The resulting mixture was stirred at room temperature for 6 hours. The reaction was quenched by slow addition of saturated aqueous  $\text{NaHCO}_3$  solution (~20 mL), during which the pale-yellow solid dissolved into the aqueous phase, yielding a yellow solution. The suspension was transferred to a separatory funnel, and the aqueous layer was discarded after phase separation. This extraction process was repeated, and the combined organic phases were concentrated under reduced pressure to afford the crude product as a yellow solid. The material was used directly in subsequent transformations without further purification.

#### Method B:

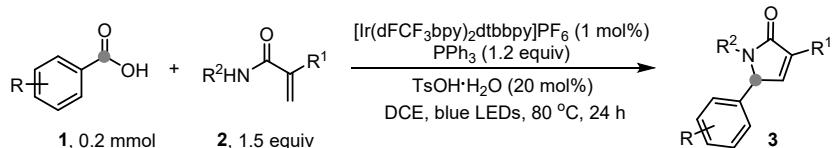


Under conditions analogous to those described above, 1,2-dibromopropionic acid was converted into the corresponding amide. The resulting 1,2-dibromopropionamide was dissolved in dimethyl sulfoxide (DMSO) and heated at 75 °C in an oil bath for 10 h. After cooling to room temperature, the reaction mixture was slowly quenched with 20 mL of dilute hydrochloric acid, followed by extraction with ethyl acetate (3  $\times$  100 mL). The combined organic layers were washed with saturated brine and water, dried over anhydrous  $\text{MgSO}_4$ , filtered, and concentrated under reduced pressure. Purification by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1, v/v) afforded the pure bromo-substituted acrylamide, which was used directly in the next step. Under a nitrogen atmosphere, the bromo-substituted acrylamide, arylboronic acid, palladium(II) acetate, triphenylphosphine, and potassium fluoride were combined in a 100 mL flask. A mixture of tetrahydrofuran (THF) and water was added, and the reaction was heated at 60 °C in an oil bath for 12 h. A brown solid-liquid mixture formed, and the solids were removed by filtration. The filtrate was diluted and extracted with ethyl acetate (2  $\times$ ). The combined organic layers were dried over anhydrous  $\text{MgSO}_4$ , filtered, and concentrated. Final purification by silica gel column chromatography (petroleum ether/ethyl acetate = 20:1, v/v) yielded the desired product.

## 4. Syntheses of Products

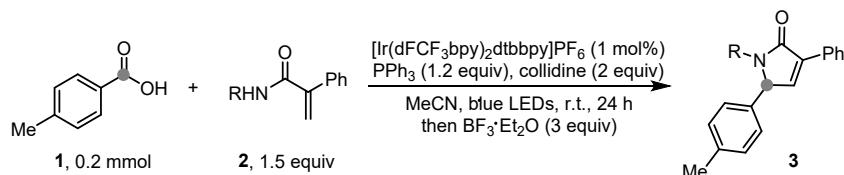
### 4.1 General Procedure for the Synthesis of Products 3

#### Method A:



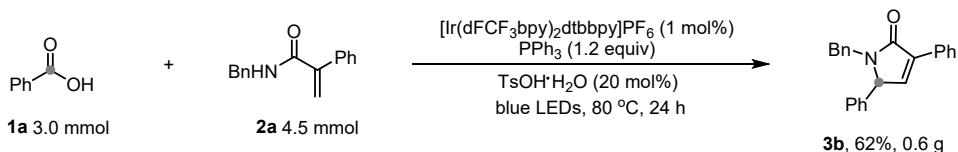
In a 5 mL reaction vial, carboxylic acid (0.2 mmol), enamide (0.3 mmol, 1.5 equiv),  $PPh_3$  (0.24 mmol, 1.2 equiv),  $[Ir(dFCF_3bpy)_2dtbbpy]PF_6$  (1.0 mg, 1 mol%), and  $TsOH \cdot H_2O$  (20 mg, 50 mol%) were combined. The vial was evacuated and backfilled with  $N_2$  (3×), followed by the addition of anhydrous 1,2-dichloroethane (DCE, 2 mL). The reaction mixture was heated at  $80^\circ C$  in an oil bath under irradiation with 450 nm blue light for 24 h. Upon completion, the reaction was quenched with saturated  $K_2CO_3$  (or other basic solution) to remove excess carboxylic acid. The mixture was diluted with  $CH_2Cl_2$  and washed multiple times to transfer organic products into the  $CH_2Cl_2$  phase. The combined organic layers were dried over anhydrous  $MgSO_4$ , filtered, and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography (petroleum ether/ethyl acetate gradient) to afford the desired  $\gamma$ -lactam.

#### Method B:



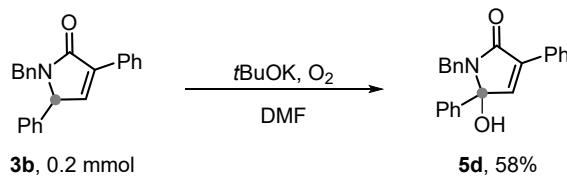
In a 5 mL pressure-resistant vial, carboxylic acid (0.2 mmol), enamide (0.3 mmol, 1.5 equiv),  $PPh_3$  (0.24 mmol, 1.2 equiv),  $[Ir(dFCF_3bpy)_2dtbbpy]PF_6$  (0.01 equiv, 1.0 mg), and substituted pyridine (0.4 mmol, 2.0 equiv) were combined. The sealed vial was evacuated and purged with  $N_2$  (3×), followed by the addition of anhydrous MeCN (2 mL). The reaction was irradiated with 450 nm blue light for 24 h. Subsequently,  $BF_3 \cdot OEt_2$  (0.6 mmol, 3.0 equiv) was added, and the mixture was stirred under sealed conditions for 3 h. The reaction was quenched with saturated aqueous  $K_2CO_3$  and diluted with  $CH_2Cl_2$ . The organic phase was extracted with  $CH_2Cl_2$ , dried over anhydrous  $Na_2SO_4$ , and concentrated in vacuo to afford a viscous solid. Purification by silica gel column chromatography (petroleum ether/ethyl acetate gradient) yielded the pure  $\gamma$ -lactam 3.

## 5. Gram-scale Synthesis

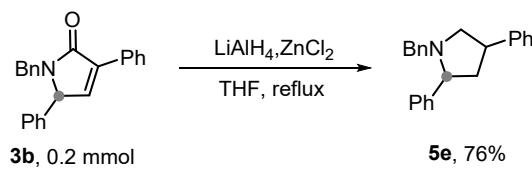


In a nitrogen atmosphere, add benzoic acid, N-benzyl-2-phenylacrylamide, triphenylphosphine, iridium catalyst, and p-toluenesulfonic acid monohydrate to 25 mL of Schleyer tube. Dissolve the solid mixture with dichloroethane, heat in a transparent oil bath to  $80^\circ C$ , and stir under light for 48 hours. Post-treatment involves neutralizing the acidic components of the reaction system by adding saturated potassium carbonate, obtaining a dry organic solution, reducing the organic solvent under reduced pressure, purifying the crude product, and eluting with a mixed solution of ethyl acetate and petroleum ether at a volume ratio of 1:20, yielding the product (690 mg, 62%).

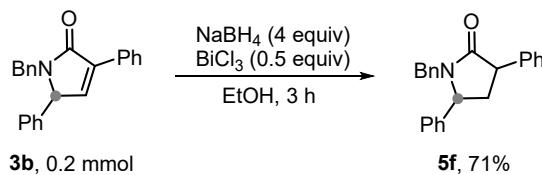
## 6. Product Derivatization



To a 25 mL three-necked round bottom flask equipped with a magnetic stir bar was added freshly activated 4Å-molecular sieves (145.8 mg), compound **3b** (65 mg, 0.2 mmol, 1.0 equiv) and *t*BuOK (67.3 mg, 0.6 mmol, 3.0 equiv). The flask was then purged with O<sub>2</sub> and fitted with a balloon of O<sub>2</sub> for the duration of the reaction. Dry DMF (2.0 mL) was then added via syringe and the mixture was allowed to stir vigorously at room temperature for additional 4 hours. The mixture was transferred to a separatory funnel and diluted with EtOAc. The resulting mixture was washed with aqueous ammonium chloride to remove DMF. The organic phase was dried over anhydrous sodium sulfate, filtered and concentrated to give a crude product, which was purified by silica gel chromatography (Hexane/EtOAc) to give the desired product as a white solid (39.6 mg).

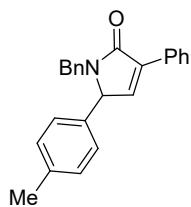


Under an air atmosphere, the substrate **3b** (65 mg, 0.2 mmol, 1.0 equiv) and LiAlH<sub>4</sub> (46 mg, 1.2 mmol, 6 equiv) were added to a Schlenk tube, followed by the addition of 2 mL of THF to dissolve the solids. The reaction was stirred at 80 °C overnight. After completion, the mixture was diluted with 5 mL of THF and carefully quenched by sequential dropwise addition of 1 mL of H<sub>2</sub>O, 2 mL of 10% NaOH aqueous solution, and 3 mL of H<sub>2</sub>O. The resulting solids were removed by filtration. After extraction, the organic layer was separated and the filtrate was concentrated under reduced pressure. The crude product was purified by column chromatography using a mixture of petroleum ether and ethyl acetate (20:1, v/v) as the eluent.



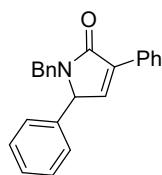
Under an air atmosphere, compound **3b** (65 mg, 0.2 mmol, 1.0 equiv), sodium borohydride (30 mg, 0.8 mmol, 4 equiv), and bismuth chloride (32 mg, 0.1 mmol, 0.5 equiv) were added to a 5 mL reaction vial. Then, 2 mL of methanol was added to dissolve the solids, and the mixture was stirred at room temperature. After 3 hours, the reaction was quenched by the sequential dropwise addition of 1 mL of H<sub>2</sub>O, 2 mL of 10% NaOH aqueous solution, and 3 mL of H<sub>2</sub>O. The resulting solids were removed by filtration. After extraction, the organic layer was separated and the filtrate was concentrated under reduced pressure. The crude product was purified by column chromatography using a mixture of petroleum ether and ethyl acetate (20:1, v/v) as the eluent.

## 7. Characterization data for the products



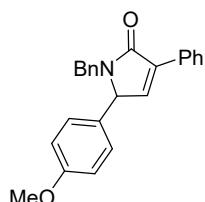
### 1-benzyl-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3a).

According to the general procedure, the reaction gave **3a** in 78% yield (52 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  8.03 – 7.96 (m, 2H), 7.44 (dd, *J* = 8.2, 6.4 Hz, 2H), 7.40 (t, *J* = 1.5 Hz, 1H), 7.34 (s, 1H), 7.30 (d, *J* = 13.1 Hz, 2H), 7.21 (dt, *J* = 6.2, 3.3 Hz, 4H), 7.17 (d, *J* = 2.1 Hz, 1H), 7.04 (d, *J* = 8.1 Hz, 2H), 5.30 (d, *J* = 14.9 Hz, 1H), 4.89 (d, *J* = 2.2 Hz, 1H), 3.70 (d, *J* = 14.9 Hz, 1H), 2.40 (s, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.3, 140.9, 139.0, 137.4, 131.5, 129.5, 129.0, 128.7, 128.5, 128.4, 128.0, 127.5, 127.2, 124.9, 63.3, 43.9, 21.3. m.p. = 95.0–95.3 °C. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>21</sub>NO[M+H]<sup>+</sup>: 340.1695; found: 340.1687.



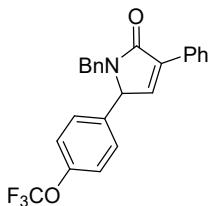
### 1-benzyl-3,5-diphenyl-1,5-dihydro-2H-pyrrol-2-one (3b)

According to the general procedure, the reaction gave **3b** in 82% yield (53 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  8.01 – 7.93 (m, 2H), 7.41 (t, *J* = 7.4 Hz, 3H), 7.37 – 7.35 (m, 3H), 7.31 – 7.24 (m, 3H), 7.19 – 7.14 (m, 3H), 7.14 – 7.08 (m, 2H), 5.27 (d, *J* = 14.9 Hz, 1H), 4.89 (d, *J* = 2.4 Hz, 1H), 3.69 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.0, 140.8, 137.4, 135.1, 135.0, 131.4, 129.2, 128.7, 128.7, 128.5, 128.4, 127.6, 127.5, 127.2, 63.3, 43.9. m.p. = 90.1–91.3 °C. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>19</sub>NO[M-H]<sup>-</sup>: 324.1393; found: 324.1392.



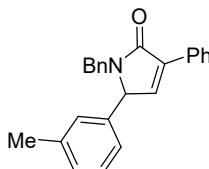
### 1-benzyl-5-(4-methoxyphenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3c)

According to the general procedure, the reaction gave **3c** in 63% yield (44 mg) as a yellow oil (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.96 (d, *J* = 8.0 Hz, 2H), 7.40 (t, *J* = 7.4 Hz, 2H), 7.36 – 7.29 (m, 3H), 7.25 (s, 1H), 7.16 (d, *J* = 7.3 Hz, 2H), 7.12 (d, *J* = 2.1 Hz, 1H), 7.02 (d, *J* = 8.7 Hz, 2H), 6.91 – 6.87 (m, 2H), 5.24 (d, *J* = 14.9 Hz, 1H), 4.85 (d, *J* = 2.2 Hz, 1H), 3.81 (s, 3H), 3.66 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  169.9, 159.9, 141.0, 137.5, 135.0, 131.5, 128.9, 128.7, 128.5, 128.4, 127.5, 127.5, 127.2, 126.6, 114.5, 62.7, 55.3, 43.7. m.p. = 105.2–105.6 °C. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>21</sub>NO<sub>2</sub>[M-H]<sup>-</sup>: 354.1499; found: 344.1497.



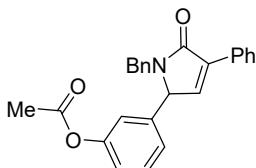
**1-benzyl-3-phenyl-5-(4-(trifluoromethoxy)phenyl)-1,5-dihydro-2H-pyrrol-2-one (3d)**

According to the general procedure, the reaction gave **3d** in 70% yield (57 mg) as a yellow oil (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 7.91 – 7.87 (m, 2H), 7.33 (t, *J* = 7.7 Hz, 2H), 7.29 (d, *J* = 6.2 Hz, 1H), 7.20 (q, *J* = 5.5, 4.1 Hz, 3H), 7.13 (d, *J* = 8.3 Hz, 2H), 7.08 – 7.05 (m, 4H), 7.05 – 7.03 (m, 1H), 5.16 (d, *J* = 14.9 Hz, 1H), 4.83 (s, 1H), 3.66 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*) δ 169.9, 149.4, 140.2, 137.1, 135.5, 133.9, 131.2, 129.1, 128.9, 128.8, 128.6, 128.4, 127.6, 127.3, 124.9 (q, *J* = 258.3 Hz), 121.6, 62.5, 44.1. <sup>19</sup>F NMR (471 MHz, Chloroform-*d*) δ -57.8. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>18</sub>F<sub>3</sub>NO<sub>2</sub>[M-H]<sup>+</sup>: 408.1216; found: 408.1213.



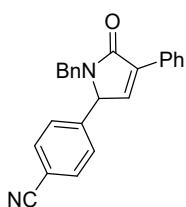
**1-benzyl-3-phenyl-5-(m-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3e)**

According to the general procedure, the reaction gave **3e** in 61% yield (41 mg) as a grey solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*) δ 8.01 – 7.95 (m, 2H), 7.41 (t, *J* = 7.4 Hz, 2H), 7.37 (d, *J* = 7.3 Hz, 1H), 7.32 – 7.27 (m, 3H), 7.25 (s, 1H), 7.19 – 7.14 (m, 4H), 6.94 (d, *J* = 7.5 Hz, 1H), 6.90 (s, 1H), 5.26 (d, *J* = 14.9 Hz, 1H), 4.87 (s, 1H), 3.71 (d, *J* = 14.9 Hz, 1H), 2.34 (s, 3H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) δ 170.2, 140.9, 139.1, 137.5, 135.0, 134.9, 131.5, 129.5, 129.1, 128.7(5), 128.7(1) 128.5, 128.4, 128.1, 127.5, 127.2, 124.9, 63.3, 43.9, 21.4. m.p. = 95.7-96.3 °C. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>21</sub>NO[M+H]<sup>+</sup>: 340.1695; found: 340.1692.



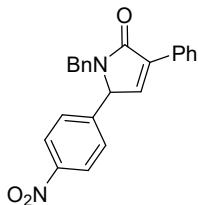
**3-(1-benzyl-5-oxo-4-phenyl-2,5-dihydro-1H-pyrrol-2-yl)phenyl acetate (3f)**

According to the general procedure, the reaction gave **3f** in 64% yield (49 mg) as a brown solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*) δ 7.95 (d, *J* = 7.7 Hz, 1H), 7.89 (d, *J* = 7.2 Hz, 2H), 7.72 (s, 1H), 7.38 – 7.32 (m, 3H), 7.28 (dd, *J* = 13.9, 5.8 Hz, 2H), 7.19 (d, *J* = 11.2 Hz, 3H), 7.08 – 7.05 (m, 3H), 5.18 (d, *J* = 15.0 Hz, 1H), 4.88 (s, 1H), 3.84 (s, 3H), 3.66 (s, 1H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>) δ 166.5, 140.3, 137.1, 135.7, 135.6, 132.0, 131.3, 130.0, 129.4, 129.1, 128.9, 128.8, 128.6, 128.3, 128.0, 127.7, 127.3, 62.9, 52.3, 44.1. m.p. = 102.0-103.0 °C. HRMS (ESI) *m/z* calcd for C<sub>25</sub>H<sub>21</sub>NO<sub>3</sub>[M+H]<sup>+</sup>: 384.1594; found: 384.1584.



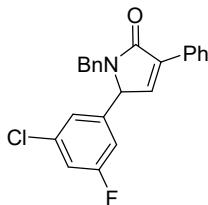
**4-(1-benzyl-5-oxo-4-phenyl-2,5-dihydro-1H-pyrrol-2-yl)benzonitrile (3g)**

According to the general procedure, the reaction gave **3g** in 65% yield (45 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.89 (d, *J* = 7.2 Hz, 2H), 7.60 (d, *J* = 6.8 Hz, 1H), 7.36 – 7.31 (m, 3H), 7.29 (d, *J* = 7.2 Hz, 1H), 7.22 (d, *J* = 7.4 Hz, 2H), 7.21 – 7.14 (m, 2H), 7.09 – 7.06 (m, 2H), 7.04 – 6.99 (m, 3H), 5.17 (d, *J* = 14.9 Hz, 1H), 4.74 (s, 1H), 3.65 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.0, 140.0, 137.8, 137.5, 137.0, 136.4, 135.5, 131.1, 130.8, 128.9, 128.7, 128.5, 128.3, 127.6, 127.2, 126.9, 94.9, 62.6, 44.1. m.p. = 94.4–94.9 °C. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>18</sub>N<sub>2</sub>O[M+H]<sup>+</sup>: 351.1491; found: 351.1491.



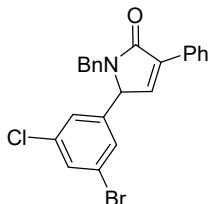
**1-benzyl-5-(4-nitrophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3h)**

According to the general procedure, the reaction gave **3h** in 76% yield (56 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.97 (dd, *J* = 8.1, 1.4 Hz, 2H), 7.69 (d, *J* = 6.7 Hz, 1H), 7.43 (d, *J* = 6.2 Hz, 3H), 7.41 – 7.37 (m, 2H), 7.35 – 7.26 (m, 3H), 7.17 – 7.14 (m, 2H), 7.10 (d, *J* = 7.1 Hz, 2H), 5.25 (d, *J* = 14.8 Hz, 1H), 4.82 (s, 1H), 3.74 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  169.1, 141.9, 138.9, 137.7, 137.6, 135.4, 130.3, 130.2, 129.5, 128.7, 128.6, 128.4, 127.6, 127.4, 125.5, 62.7, 43.1. m.p. = 108.2–108.9 °C. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>18</sub>NO<sub>3</sub>[M+H]<sup>+</sup>: 371.1394; found: 371.1391.



**1-benzyl-5-(3-chloro-5-fluorophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3i)**

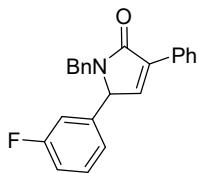
According to the general procedure, the reaction gave **3i** in 73% yield (56 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.95 (dd, *J* = 7.9, 1.5 Hz, 2H), 7.40 (dt, *J* = 14.6, 7.3 Hz, 3H), 7.29 (p, *J* = 6.6 Hz, 3H), 7.15 (dd, *J* = 7.5, 1.5 Hz, 2H), 7.10 – 7.04 (m, 2H), 6.91 (d, *J* = 1.6 Hz, 1H), 6.74 (d, *J* = 7.8 Hz, 1H), 5.25 (d, *J* = 14.9 Hz, 1H), 4.84 (s, 1H), 3.76 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  169.95, 162.06 (d, *J* = 252 Hz), 139.4, 139.3 (d, *J* = 7.6 Hz), 136.8, 136.0 (d, *J* = 11.3 Hz), 135.8, 130.9, 129.1, 128.9, 128.6, 128.3, 127.8, 127.3, 123.5 (d, *J* = 3.8 Hz), 116.6 (d, *J* = 23.9 Hz), 113.1 (d, *J* = 21.4 Hz), 62.3, 44.2. <sup>19</sup>F NMR (471 MHz, Chloroform-*d*)  $\delta$  -109.2. m.p. = 89.9–90.3 °C. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>17</sub>ClFNO<sub>4</sub>[M+H]<sup>+</sup>: 378.1055; found: 378.1048.



**1-benzyl-5-(3-bromo-5-chlorophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3j)**

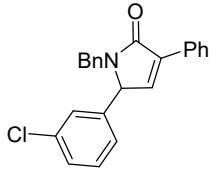
According to the general procedure, the reaction gave **3j** in 68% yield (58 mg) as a brown solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.94 (d, *J* = 6.7 Hz, 2H), 7.43 – 7.35 (m, 4H), 7.32 – 7.26 (m, 3H), 7.16 – 7.12 (m, 2H), 7.09 (d, *J* = 2.2 Hz, 1H), 6.88 (ddd, *J* = 15.3, 8.8, 2.1 Hz, 2H), 5.28

– 5.22 (m, 1H), 4.85 (d,  $J$  = 2.1 Hz, 1H), 3.71 (d,  $J$  = 15.0 Hz, 1H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  169.9, 139.7, 136.9, 135.7, 131.4, 130.9, 129.1, 128.8, 128.6, 128.3, 127.7, 127.6, 127.2, 124.0(4), 124.0(2), 115.8, 115.6, 62.2, 44.1. m.p. = 91.7–92.3 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{23}\text{H}_{17}\text{BrClNO}[\text{M}+\text{H}]^+$ : 438.0255; found: 438.0252.



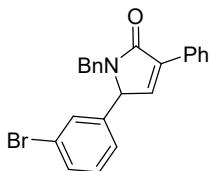
**1-benzyl-5-(3-fluorophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3k)**

According to the general procedure, the reaction gave **3k** in 72% yield (50 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.96 (d,  $J$  = 7.5 Hz, 2H), 7.41 (d,  $J$  = 7.8 Hz, 2H), 7.39 – 7.34 (m, 2H), 7.33 – 7.26 (m, 3H), 7.16 (d,  $J$  = 7.0 Hz, 2H), 7.13 (d,  $J$  = 2.0 Hz, 1H), 7.05 (t,  $J$  = 8.4 Hz, 1H), 6.93 (d,  $J$  = 7.6 Hz, 1H), 6.83 (d,  $J$  = 9.3 Hz, 1H), 5.28 (d,  $J$  = 14.9 Hz, 1H), 4.88 (d,  $J$  = 2.1 Hz, 1H), 3.72 (d,  $J$  = 14.9 Hz, 1H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  169.9, 162.3 (d,  $J$  = 248.2 Hz), 140.1, 137.8 (d,  $J$  = 6.3 Hz), 137.2, 135.5, 131.2, 130.8 (d,  $J$  = 8.8 Hz), 128.9, 128.8, 128.5, 128.4, 127.7, 127.3, 123.3, 115.7 (d,  $J$  = 8.8 Hz), 114.4 (d,  $J$  = 1.3 Hz), 62.7, 44.1.  $^{19}\text{F}$  NMR (471 MHz, Chloroform-*d*)  $\delta$  -111.5. m.p. = 85.8–86.4 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{23}\text{H}_{18}\text{FNO}[\text{M}+\text{H}]^+$ : 344.1445; found: 344.1437.



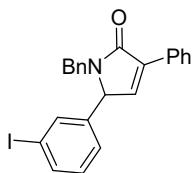
**1-benzyl-5-(3-chlorophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3l)**

According to the general procedure, the reaction gave **3l** in 79% yield (56 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.96 (d,  $J$  = 7.0 Hz, 2H), 7.41 (d,  $J$  = 7.7 Hz, 2H), 7.39 – 7.34 (m, 1H), 7.33 – 7.25 (m, 5H), 7.16 (d,  $J$  = 6.6 Hz, 2H), 7.11 (d,  $J$  = 10.0 Hz, 2H), 7.01 (d,  $J$  = 7.0 Hz, 1H), 5.27 (d,  $J$  = 15.0 Hz, 1H), 4.86 (d,  $J$  = 2.2 Hz, 1H), 3.72 (d,  $J$  = 14.9 Hz, 1H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  170.0, 140.0, 137.3, 137.1, 135.5, 135.1, 131.1, 130.4, 129.0, 128.9, 128.7, 128.5, 128.3, 127.6, 127.6, 127.2, 125.8, 62.7, 44.0. m.p. = 85.7–85.9 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{23}\text{H}_{18}\text{ClNO}[\text{M}+\text{H}]^+$ : 360.1150; found: 360.1140.



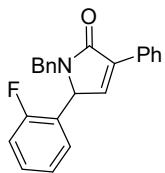
**1-benzyl-5-(3-bromophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3m)**

According to the general procedure, the reaction gave **3m** in 67% yield (54 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.96 (d,  $J$  = 7.2 Hz, 2H), 7.47 (d,  $J$  = 8.0 Hz, 1H), 7.40 (t,  $J$  = 7.4 Hz, 2H), 7.36 (d,  $J$  = 7.2 Hz, 1H), 7.31 – 7.23 (m, 5H), 7.15 (d,  $J$  = 6.9 Hz, 2H), 7.10 (s, 1H), 7.05 (d,  $J$  = 7.7 Hz, 1H), 5.25 (d,  $J$  = 14.9 Hz, 1H), 4.84 (d,  $J$  = 2.2 Hz, 1H), 3.72 (d,  $J$  = 15.0 Hz, 1H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  170.0, 140.1, 137.5, 137.1, 135.5, 131.9, 131.1, 130.7, 130.5, 128.9, 128.8, 128.5, 128.3, 127.7, 127.2, 126.3, 123.2, 62.6, 44.1. m.p. = 93.6–94.0 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{23}\text{H}_{18}\text{BrNO}[\text{M}+\text{H}]^+$ : 404.0645; found: 404.0635.



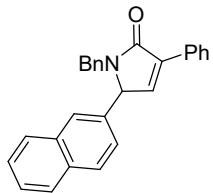
**1-benzyl-5-(3-iodophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3n)**

According to the general procedure, the reaction gave **3n** in 65% yield (58 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.97 (d, *J* = 7.2 Hz, 2H), 7.69 (d, *J* = 6.9 Hz, 1H), 7.46 – 7.40 (m, 3H), 7.38 (d, *J* = 7.1 Hz, 1H), 7.29 (dd, *J* = 12.7, 7.1 Hz, 3H), 7.16 (d, *J* = 7.0 Hz, 2H), 7.13 – 7.07 (m, 3H), 5.26 (d, *J* = 14.9 Hz, 1H), 4.82 (d, *J* = 2.2 Hz, 1H), 3.73 (d, *J* = 15.0 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  140.0, 137.8, 137.5, 137.0, 136.4, 135.5, 131.1, 130.8, 128.9, 128.7, 128.5, 128.3, 127.6, 127.2, 126.9, 94.9, 62.5, 44.1. m.p. = 98.0–98.3 °C. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>18</sub>INO[M+H]<sup>+</sup>: 450.0360; found: 450.0355.



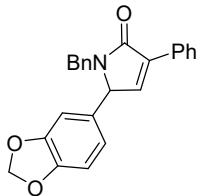
**1-benzyl-5-(2-fluorophenyl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3o)**

According to the general procedure, the reaction gave **3o** in 57% yield (39 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.95 (d, *J* = 7.5 Hz, 2H), 7.44 – 7.35 (m, 4H), 7.33 (d, *J* = 5.8 Hz, 1H), 7.29 (s, 1H), 7.17 (dd, *J* = 13.0, 4.3 Hz, 4H), 7.12 (d, *J* = 9.3 Hz, 2H), 7.05 (d, *J* = 7.6 Hz, 1H), 5.35 (s, 1H), 5.24 (d, *J* = 14.8 Hz, 1H), 3.82 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  169.2, 159.2, 138.7, 136.0, 134.8, 130.3, 129.2 (d, *J* = 7.56 Hz), 127.8, 127.7, 127.4, 127.2, 126.6, 126.2, 123.9 (d, *J* = 3.8 Hz), 121.1 (d, *J* = 12.6 Hz), 114.9, 115.2, 55.2, 43.3. <sup>19</sup>F NMR (471 MHz, Chloroform-*d*)  $\delta$  -112.8. m.p. = 93.8–94.1 °C. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>18</sub>FNO[M+H]<sup>+</sup>: 344.1445; found: 344.1449.



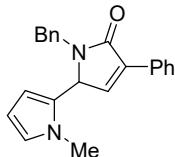
**1-benzyl-5-(naphthalen-2-yl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3q)**

According to the general procedure, the reaction gave **3q** in 62% yield (46 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  8.04 – 7.97 (m, 2H), 7.84 (d, *J* = 8.3 Hz, 3H), 7.64 (d, *J* = 1.8 Hz, 1H), 7.53 (d, *J* = 9.4 Hz, 2H), 7.44 – 7.40 (m, 2H), 7.37 (t, *J* = 7.3 Hz, 2H), 7.28 (d, *J* = 3.9 Hz, 2H), 7.21 – 7.12 (m, 4H), 5.31 (d, *J* = 15.0 Hz, 1H), 5.06 (d, *J* = 2.2 Hz, 1H), 3.70 (d, *J* = 15.0 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.1, 140.7, 137.4, 135.4, 133.4, 132.4, 131.4, 129.2, 128.8, 128.7, 128.5, 128.4, 127.8, 127.8, 127.7, 127.5, 127.5, 127.2, 126.6, 126.6, 124.2, 63.4, 44.0. m.p. = 83.3–83.9 °C. HRMS (ESI) *m/z* calcd for C<sub>27</sub>H<sub>21</sub>NO[M+H]<sup>+</sup>: 376.1083; found: 376.1085.



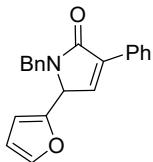
**5-(benzo[3] [1,3]dioxol-5-yl)-1-benzyl-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3r)**

According to the general procedure, the reaction gave **3r** in 70% yield (51 mg) as a yellow oil (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.95 (d, *J* = 7.4 Hz, 2H), 7.40 (t, *J* = 7.4 Hz, 2H), 7.35 (d, *J* = 7.2 Hz, 1H), 7.29 (t, *J* = 7.0 Hz, 2H), 7.24 (s, 1H), 7.17 (d, *J* = 7.3 Hz, 2H), 7.09 (s, 1H), 6.78 (d, *J* = 7.9 Hz, 1H), 6.62 (d, *J* = 8.4 Hz, 1H), 6.52 (s, 1H), 5.96 (d, *J* = 1.4 Hz, 2H), 5.23 (d, *J* = 14.9 Hz, 1H), 4.80 (s, 1H), 3.70 (d, *J* = 14.9 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  169.8, 148.4, 148.0, 140.7, 137.4, 135.2, 131.4, 128.7, 128.7, 128.5, 128.5, 128.4, 127.5, 127.2, 121.6, 108.6, 107.3, 101.4, 62.9, 43.8. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>19</sub>NO<sub>3</sub>[M-H]<sup>+</sup>: 368.1292; found: 368.1291.



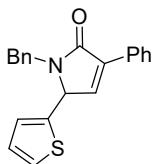
**1'-benzyl-1-methyl-4'-phenyl-1',2'-dihydro-1H,5'H-[2,2'-bipyrrol]-5'-one (3s)**

According to the general procedure, the reaction gave **3s** in 60% yield (40 mg) as an orange solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.96 – 7.94 (m, 2H), 7.41 (d, *J* = 7.7 Hz, 2H), 7.37 (d, *J* = 7.2 Hz, 1H), 7.28 (d, *J* = 7.3 Hz, 2H), 7.19 – 7.17 (m, 3H), 6.56 (s, 1H), 6.16 – 6.10 (m, 2H), 5.14 (s, 1H), 5.09 (d, *J* = 14.6 Hz, 1H), 3.94 (d, *J* = 14.7 Hz, 1H), 3.22 (s, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.0, 139.0, 137.2, 135.9, 128.8, 128.5(8), 128.5(0), 128.1, 127.6, 127.4, 127.2, 122.2, 120.4, 108.6, 101.3, 58.1, 44.3, 30.2. m.p. = 73.8–74.2 °C. HRMS (ESI) *m/z* calcd for C<sub>22</sub>H<sub>20</sub>N<sub>2</sub>O[M+H]<sup>+</sup>: 329.1648; found: 329.1642.



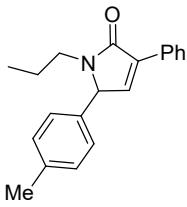
**1-benzyl-5-(furan-2-yl)-3-phenyl-1,5-dihydro-2H-pyrrol-2-one (3t)**

According to the general procedure, the reaction gave **3t** in 57% yield (36 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  7.97 (d, *J* = 7.8 Hz, 2H), 7.41 (s, 1H), 7.39 – 7.35 (m, 2H), 7.32 (t, *J* = 7.2 Hz, 3H), 7.27 (s, 2H), 7.22 (d, *J* = 7.4 Hz, 2H), 7.12 (s, 1H), 6.15 (s, 1H), 5.25 (d, *J* = 14.9 Hz, 1H), 4.94 (s, 1H), 3.82 (d, *J* = 15.1 Hz, 1H). <sup>13</sup>C NMR (126 MHz, CDCl<sub>3</sub>)  $\delta$  169.5, 144.2, 141.4, 139.6, 137.4, 131.3, 128.8, 128.7, 128.5, 128.2, 127.5, 127.2, 120.1, 108.6, 54.5, 43.7. m.p. = 108.2–18.6 °C. HRMS (ESI) *m/z* calcd for C<sub>21</sub>H<sub>17</sub>NO<sub>2</sub>[M-H]<sup>+</sup>: 314.1186; found: 314.1181.



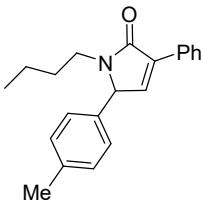
**1-benzyl-3-phenyl-5-(thiophen-2-yl)-1,5-dihydro-2H-pyrrol-2-one (3u)**

According to the general procedure, the reaction gave **3u** in 78% yield (50 mg) as a brown solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  8.00 – 7.94 (m, 2H), 7.74 – 7.71 (m, 1H), 7.49 – 7.41 (m, 3H), 7.38 (d, *J* = 7.1 Hz, 1H), 7.33 (dd, *J* = 13.5, 6.6 Hz, 2H), 7.23 (d, *J* = 6.9 Hz, 2H), 7.19 (d, *J* = 2.0 Hz, 1H), 7.04 – 7.01 (m, 1H), 7.00 (d, *J* = 2.9 Hz, 1H), 5.30 – 5.21 (m, 2H), 3.82 (d, *J* = 15.0 Hz, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  169.3, 139.8, 132.3, 132.2, 131.6, 128.9, 128.8, 128.6, 128.5(5), 128.5(0), 128.4, 127.6, 127.5, 127.4, 127.1, 126.6, 58.2, 43.7. m.p. = 115.8–116.3 °C. HRMS (ESI) *m/z* calcd for C<sub>21</sub>H<sub>17</sub>NOS[M+H]<sup>+</sup>: 332.1103; found: 332.1095.



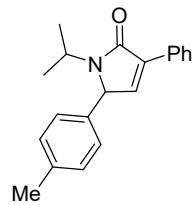
**3-phenyl-1-propyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3v)**

According to the general procedure, the reaction gave **3v** in 77% yield (44 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.97 – 7.90 (m, 2H), 7.39 – 7.33 (m, 3H), 7.17 (d, *J* = 7.6 Hz, 2H), 7.14 – 7.11 (m, 1H), 7.06 (d, *J* = 7.9 Hz, 2H), 5.05 (s, 1H), 3.76 (dt, *J* = 15.3, 8.0 Hz, 1H), 2.77 (ddd, *J* = 13.8, 8.3, 5.4 Hz, 1H), 2.35 (s, 3H), 1.51 (ddq, *J* = 21.3, 14.6, 7.3 Hz, 2H), 0.86 (t, *J* = 7.4 Hz, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.1, 140.5, 138.6, 135.2, 132.2, 131.6, 129.8, 128.6, 128.4, 127.4, 127.2, 63.8, 41.8, 21.8, 11.3. m.p. = 98.4–98.9 °C. HRMS (ESI) *m/z* calcd for C<sub>20</sub>H<sub>21</sub>NO[M+H]<sup>+</sup>: 290.1550; found: 290.1560.



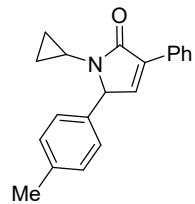
**1-butyl-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3w)**

According to the general procedure, the reaction gave **3w** in 76% yield (46 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.98 – 7.91 (m, 2H), 7.42 – 7.35 (m, 3H), 7.18 (d, *J* = 7.8 Hz, 2H), 7.13 (s, 1H), 7.07 (d, *J* = 7.8 Hz, 2H), 5.05 (d, *J* = 2.2 Hz, 1H), 3.82 (dt, *J* = 13.8, 7.9 Hz, 1H), 2.79 (dt, *J* = 13.8, 6.8 Hz, 1H), 2.36 (s, 3H), 1.52 – 1.46 (m, 2H), 1.26 (s, 2H), 0.89 (t, *J* = 7.3 Hz, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.0, 140.4, 138.5, 135.2, 132.2, 131.6, 129.8, 128.5, 128.4, 127.3, 127.2, 63.8, 39.9, 30.6, 21.1, 20.0, 13.7. m.p. = 107.0–108.0 °C. HRMS (ESI) *m/z* calcd for C<sub>21</sub>H<sub>23</sub>NO[M+H]<sup>+</sup>: 306.1852; found: 306.1834.



**1-isopropyl-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3x)**

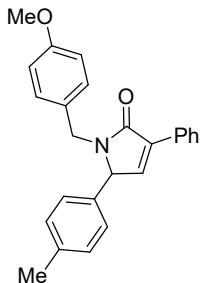
According to the general procedure, the reaction gave **3x** in 45% yield (26 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.92 (d, *J* = 7.2 Hz, 2H), 7.39 (t, *J* = 7.4 Hz, 2H), 7.33 (t, *J* = 7.3 Hz, 1H), 7.19 – 7.10 (m, 4H), 7.04 (d, *J* = 1.9 Hz, 1H), 5.10 (s, 1H), 4.24 (p, *J* = 6.9 Hz, 1H), 2.35 (s, 3H), 1.31 (d, *J* = 6.9 Hz, 3H), 1.00 (d, *J* = 6.9 Hz, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.3, 141.0, 138.4, 135.0, 133.5, 131.6, 129.6, 128.5, 128.4, 127.5, 127.2, 63.0, 45.1, 21.2, 21.1, 20.7. m.p. = 98.7–99.1 °C. HRMS (ESI) *m/z* calcd for C<sub>20</sub>H<sub>21</sub>NO[M-H]<sup>-</sup>: 290.1550; found: 290.1549.



**1-cyclopropyl-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3y)**

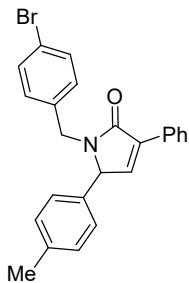
According to the general procedure, the reaction gave **3y** in 58% yield (34 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  8.09 – 7.90 (m, 2H), 7.35 (s,

2H), 7.32 (d,  $J$  = 7.1 Hz, 3H), 7.28 (s, 2H), 7.21 (d,  $J$  = 7.8 Hz, 1H), 5.70 (s, 1H), 2.69 (qt,  $J$  = 9.4, 4.8 Hz, 1H), 2.43 (s, 3H), 0.74 (dd,  $J$  = 12.8, 6.6 Hz, 2H), 0.50 – 0.37 (m, 2H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  171.5, 144.5, 136.7, 130.2, 129.2, 129.1, 128.3, 128.2, 128.0, 127.9, 126.6, 55.4, 45.4, 21.8, 6.8, 6.5. m.p. = 97.0–97.8 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{19}\text{NO}[\text{M}-\text{H}]^+$ : 288.1394; found: 289.1392.



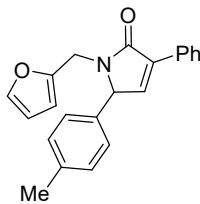
**1-(4-methoxybenzyl)-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3z)**

According to the general procedure, the reaction gave **3z** in 74% yield (54 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  7.91 – 7.86 (m, 2H), 7.36 – 7.31 (m, 2H), 7.31 – 7.27 (m, 1H), 7.19 (s, 1H), 7.12 (d,  $J$  = 7.7 Hz, 2H), 7.06 – 7.01 (m, 3H), 6.94 (d,  $J$  = 7.8 Hz, 2H), 6.76 (d,  $J$  = 8.6 Hz, 2H), 5.14 (d,  $J$  = 14.7 Hz, 1H), 4.78 (d,  $J$  = 2.2 Hz, 1H), 3.72 (s, 3H), 3.54 (d,  $J$  = 14.8 Hz, 1H), 2.30 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz,  $\text{CDCl}_3$ )  $\delta$  169.9, 159.0, 140.9, 131.9, 131.5, 129.8, 129.7, 129.6, 128.6, 128.4, 127.6, 127.2, 114.0, 62.8, 55.3, 43.1, 21.2. m.p. = 87.9–88.4 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{25}\text{H}_{23}\text{NO}_2[\text{M}+\text{H}]^+$ : 370.1801; found: 370.1792.



**1-(4-bromobenzyl)-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3aa)**

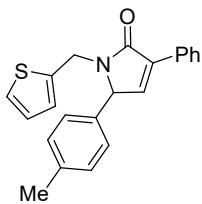
According to the general procedure, the reaction gave **3aa** in 78% yield (65 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.88 (d,  $J$  = 7.1 Hz, 2H), 7.34 (dd,  $J$  = 8.0, 5.9 Hz, 4H), 7.18 (s, 1H), 7.11 (d,  $J$  = 7.8 Hz, 2H), 7.07 (d,  $J$  = 2.2 Hz, 1H), 6.97 (d,  $J$  = 8.3 Hz, 2H), 6.92 (d,  $J$  = 7.9 Hz, 2H), 5.09 (d,  $J$  = 15.0 Hz, 1H), 4.77 (d,  $J$  = 2.1 Hz, 1H), 3.59 (d,  $J$  = 15.0 Hz, 1H), 2.30 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  140.9, 138.8, 136.5, 135.0, 131.8, 130.1, 129.9, 128.7, 128.5, 127.5, 127.2, 121.4, 63.1, 43.3, 21.2. m.p. = 94.9–95.7 °C. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{24}\text{H}_{20}\text{BrNO}[\text{M}-\text{H}]^+$ : 416.0655; found: 418.0651.



**1-(furan-2-ylmethyl)-3-phenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3ab)**

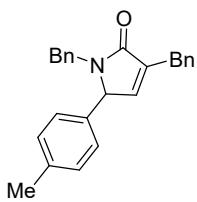
According to the general procedure, the reaction gave **3ab** in 66% yield (43 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1\text{H}$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.87 (d,  $J$  = 7.3 Hz, 2H), 7.31 (d,  $J$  = 7.8 Hz, 2H), 7.27 (d,  $J$  = 1.9 Hz, 2H), 7.11 (d,  $J$  = 7.8 Hz, 2H), 7.08 (d,  $J$  = 2.2 Hz, 1H), 7.00 (d,  $J$  = 7.9 Hz, 2H), 6.22 (s, 1H), 6.09 (s, 1H), 5.04 (d,  $J$  = 15.7 Hz, 1H), 4.95 (d,  $J$  = 2.1 Hz, 1H), 3.72 (d,  $J$  = 15.7 Hz, 1H), 2.29 (s, 3H).  $^{13}\text{C}$  NMR (126 MHz, Chloroform-*d*)  $\delta$  169.7, 150.8, 142.3, 141.0, 138.6, 135.0, 131.8, 131.4, 129.8, 128.6, 128.4, 127.6, 127.2,

110.3, 108.2, 63.5, 36.6, 21.2. m.p. = 94.6-94.9 °C. HRMS (ESI)  $m/z$  calcd for  $C_{22}H_{19}NO_2[M+H]^+$ : 330.1488; found: 330.1481.



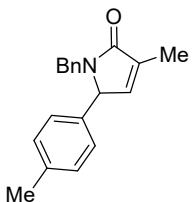
**3-phenyl-1-(thiophen-2-ylmethyl)-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3ac)**

According to the general procedure, the reaction gave **3ac** in 68% yield (47 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1H$  NMR (500 MHz, Chloroform- $d$ )  $\delta$  7.98 – 7.91 (m, 2H), 7.40 (t,  $J$  = 7.8 Hz, 2H), 7.36 (d,  $J$  = 7.1 Hz, 1H), 7.21 (dd,  $J$  = 10.5, 6.5 Hz, 3H), 7.15 (s, 1H), 7.07 (d,  $J$  = 7.7 Hz, 2H), 6.93 (dd,  $J$  = 5.0, 3.5 Hz, 1H), 6.87 (d,  $J$  = 2.8 Hz, 1H), 5.32 (d,  $J$  = 15.5 Hz, 1H), 5.00 (s, 1H), 3.94 (d,  $J$  = 15.4 Hz, 1H), 2.38 (s, 3H).  $^{13}C$  NMR (126 MHz, Chloroform- $d$ )  $\delta$  169.7, 141.0, 139.8, 138.7, 134.9, 131.7, 131.3, 129.9, 128.7, 128.4, 127.6, 127.2, 126.9, 126.7, 125.5, 62.9, 38.2, 21.2. m.p. = 88.5-88.8 °C. HRMS (ESI)  $m/z$  calcd for  $C_{22}H_{19}NOS[M+H]^+$ : 346.1260; found: 346.1251.



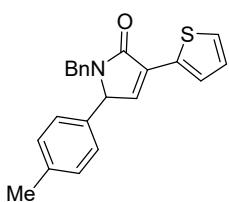
**1,3-dibenzyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3ad)**

According to the general procedure, the reaction gave **3ad** in 83% yield (57 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1H$  NMR (500 MHz, Chloroform- $d$ )  $\delta$  7.28 (t,  $J$  = 6.5 Hz, 4H), 7.24 (d,  $J$  = 7.1 Hz, 2H), 7.22 – 7.17 (m, 2H), 7.13 (dd,  $J$  = 10.6, 7.5 Hz, 4H), 6.89 (d,  $J$  = 7.9 Hz, 2H), 6.37 (s, 1H), 5.17 (d,  $J$  = 14.9 Hz, 1H), 4.69 (s, 1H), 3.71 (s, 2H), 3.61 (d,  $J$  = 14.8 Hz, 1H), 2.34 (s, 3H).  $^{13}C$  NMR (126 MHz, Chloroform- $d$ )  $\delta$  171.3, 141.7, 138.5, 138.3, 138.2, 137.5, 131.9, 129.7, 129.0, 128.6, 128.6, 128.4, 127.4, 126.4, 125.9, 63.7, 43.8, 32.3, 21.2. m.p. = 96.2-96.5 °C. HRMS (ESI)  $m/z$  calcd for  $C_{25}H_{23}NO[M+H]^+$ : 354.1852; found: 354.1843.



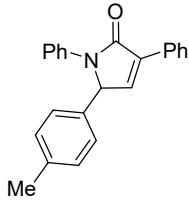
**1-benzyl-3-methyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3ae)**

According to the general procedure, the reaction gave **3ae** in 50% yield (27 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1H$  NMR (500 MHz, Chloroform- $d$ )  $\delta$  7.23 – 7.17 (m, 3H), 7.09 (d,  $J$  = 7.7 Hz, 2H), 7.07 – 7.01 (m, 2H), 6.86 (d,  $J$  = 8.0 Hz, 2H), 6.56 – 6.50 (m, 1H), 5.10 (d,  $J$  = 14.9 Hz, 1H), 4.63 (d,  $J$  = 2.1 Hz, 1H), 3.53 (d,  $J$  = 14.9 Hz, 1H), 2.29 (s, 3H), 1.92 (s, 3H).  $^{13}C$  NMR (126 MHz, Chloroform- $d$ )  $\delta$  172.0, 141.1, 138.4, 137.6, 133.9, 132.2, 129.7, 128.6, 128.3, 127.4, 127.3, 63.4, 43.7, 21.2, 11.2. m.p. = 84.2-84.7 °C. HRMS (ESI)  $m/z$  calcd for  $C_{19}H_{19}NO[M+H]^+$ : 278.1539; found: 278.1534.



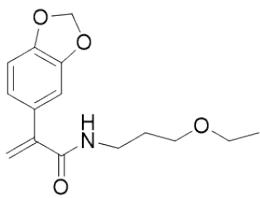
**1-benzyl-3-(thiophen-2-yl)-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3af)**

According to the general procedure, the reaction gave **3af** in 53% yield (36 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  8.38 (dd, *J* = 3.0, 1.2 Hz, 1H), 7.39 (dd, *J* = 5.0, 1.2 Hz, 1H), 7.35 – 7.26 (m, 4H), 7.17 (t, *J* = 8.5 Hz, 4H), 7.03 – 6.97 (m, 3H), 5.25 (d, *J* = 15.0 Hz, 1H), 4.86 (d, *J* = 2.2 Hz, 1H), 3.66 (d, *J* = 15.0 Hz, 1H), 2.37 (s, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.02, 138.68, 138.61, 137.43, 132.11, 131.87, 130.66, 129.86, 128.70, 128.33, 127.60, 127.50, 125.91, 125.58, 124.79, 63.24, 43.78, 21.22. m.p. = 97.3–97.9 °C. HRMS (ESI) *m/z* calcd for C<sub>22</sub>H<sub>19</sub>NOS[M+H]<sup>+</sup>: 346.1260; found: 346.1250.



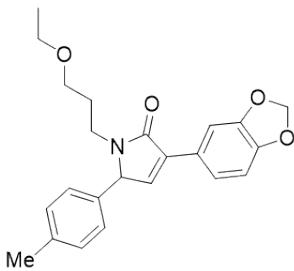
**1,3-diphenyl-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (3ag)**

According to the general procedure, the reaction gave **3ag** in 43% yield (30 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  8.03 – 7.92 (m, 2H), 7.60 (dd, *J* = 8.6, 1.2 Hz, 2H), 7.50 – 7.39 (m, 3H), 7.33 – 7.25 (m, 3H), 7.14 (d, *J* = 1.1 Hz, 5H), 5.72 (d, *J* = 2.3 Hz, 1H), 2.31 (s, 3H). <sup>13</sup>C NMR (101 MHz, Chloroform-*d*)  $\delta$  169.3, 141.3, 138.3, 137.6, 134.8, 132.4, 131.2, 129.9, 128.8, 128.5, 127.3, 126.8, 124.6, 121.6, 64.5, 21.1. m.p. = 95.0–95.5 °C. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>19</sub>NO[M+H]<sup>+</sup>: 326.1539; found: 326.1532.



**2-(benzo[d][1,3]dioxol-5-yl)-N-(3-ethoxypropyl)acrylamide (5a)**

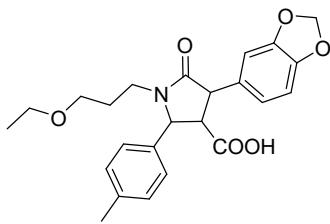
According to the general procedure, the reaction gave **5a** in 88% yield (489 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  6.85 (dd, *J* = 4.5, 2.7 Hz, 2H), 6.81 (t, *J* = 8.4 Hz, 1H), 6.55 (s, 1H), 6.03 (s, 1H), 5.97 (s, 2H), 5.53 (s, 1H), 3.48 (dt, *J* = 12.6, 5.9 Hz, 4H), 3.37 (q, *J* = 7.0 Hz, 2H), 1.79 (p, *J* = 5.8 Hz, 2H), 1.02 (t, *J* = 7.1 Hz, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  167.5, 147.8, 147.7, 144.5, 131.0, 122.0, 121.1, 108.6, 108.4, 101.2, 69.8, 66.4, 39.0, 28.8, 14.9. HRMS (ESI) *m/z* calcd for C<sub>15</sub>H<sub>19</sub>NO<sub>4</sub>[M+H]<sup>+</sup>: 278.1387; found: 278.1386.



**3-(benzo[d][1,3]dioxol-5-yl)-1-(3-ethoxypropyl)-5-(p-tolyl)-1,5-dihydro-2H-pyrrol-2-one (5b)**

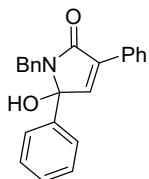
According to the general procedure, the reaction gave **5b** in 71% yield (259 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.54 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.43 (d, *J* = 1.7 Hz, 1H), 7.17 (d, *J* = 7.8 Hz, 2H), 7.05 (d, *J* = 8.1 Hz, 2H), 7.01 (d, *J* = 2.2 Hz, 1H), 6.83 (d, *J* = 8.1 Hz, 1H), 5.95 (s, 2H), 5.05 (d, *J* = 2.2 Hz, 1H), 3.83 (dt, *J* = 14.3, 7.3 Hz, 1H), 3.45 – 3.37 (m, 4H), 2.92 (ddd, *J* = 13.8, 7.3, 6.0 Hz, 1H), 2.35 (s, 3H), 1.84 – 1.73 (m, 2H), 1.15 (t, *J* = 7.0 Hz, 3H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  170.2, 147.9,

147.7, 139.2, 138.5, 134.5, 132.2, 129.8, 127.4, 125.6, 121.3, 108.3, 107.5, 101.1, 68.1, 66.1, 63.9, 37.7, 28.6, 21.1, 15.1. HRMS (ESI)  $m/z$  calcd for  $C_{22}H_{23}NO_4[M+H]^+$ : 366.1700; found: 366.1698.



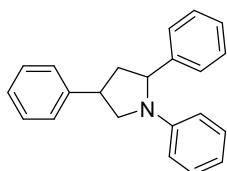
#### 4-(benzo[d][1,3]dioxol-5-yl)-1-(3-ethoxypropyl)-5-oxo-2-(p-tolyl)pyrrolidine-3-carboxylic acid (**5c**)

According to the general procedure, the reaction gave **5c** in 50% yield (100 mg) as a yellow solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.21 – 7.14 (m, 4H), 6.79 – 6.71 (m, 3H), 5.94 (s, 2H), 4.81 (d,  $J$  = 7.9 Hz, 1H), 3.84 – 3.74 (m, 1H), 3.39 (dd,  $J$  = 13.2, 9.8, 6.4, 2.2 Hz, 5H), 3.11 – 3.05 (m, 1H), 2.74 (dt,  $J$  = 13.2, 6.3 Hz, 1H), 2.36 (s, 3H), 1.78 – 1.67 (m, 2H), 1.14 (t,  $J$  = 7.0 Hz, 3H).  $^{13}C$  NMR (126 MHz, Chloroform-*d*)  $\delta$  169.7, 148.0, 147.0, 138.6, 135.5, 131.6, 129.8, 129.7, 127.2, 121.9, 108.7, 108.5, 101.1, 68.2, 66.1, 62.4, 51.5, 38.8, 29.3, 26.8, 21.2, 15.1.



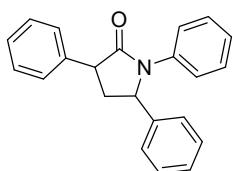
#### 1-benzyl-5-hydroxy-3,5-diphenyl-1,5-dihydro-2H-pyrrol-2-one (**5d**)

According to the general procedure, the reaction gave **5d** in 58% yield (39 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.92 (d,  $J$  = 6.4 Hz, 2H), 7.42 – 7.37 (m, 5H), 7.34 (d,  $J$  = 6.6 Hz, 3H), 7.29 – 7.25 (m, 3H), 7.24 – 7.18 (m, 3H), 7.02 (s, 1H), 4.77 (d,  $J$  = 15.1 Hz, 1H), 4.01 (d,  $J$  = 15.1 Hz, 1H), 2.51 (s, 1H).  $^{13}C$  NMR (126 MHz, Chloroform-*d*)  $\delta$  169.21, 142.58, 138.07, 136.68, 134.54, 130.49, 129.27, 128.77, 128.75, 128.68, 128.57, 128.38, 127.57, 127.23, 126.19, 90.46, 43.26. HRMS (ESI)  $m/z$  calcd for  $C_{23}H_{19}NO_2[M+H]^+$ : 342.1488; found: 342.1481.



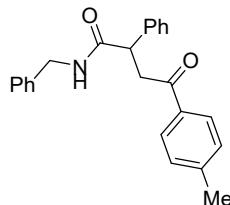
#### 1,2,4-triphenylpyrrolidine (**5e**)

According to the general procedure, the reaction gave **5e** in 76% yield (54 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 20/1).  $^1H$  NMR (500 MHz, Chloroform-*d*)  $\delta$  7.32 (s, 2H), 7.28 (d,  $J$  = 7.6 Hz, 3H), 7.21 (q,  $J$  = 8.6, 6.4 Hz, 4H), 7.10 (t,  $J$  = 8.1 Hz, 2H), 6.75 (d,  $J$  = 8.5 Hz, 1H), 6.62 (t,  $J$  = 7.3 Hz, 1H), 6.52 – 6.48 (m, 2H), 4.82 (dd,  $J$  = 9.8, 6.5 Hz, 1H), 4.03 (t,  $J$  = 8.9 Hz, 1H), 3.81 (t,  $J$  = 9.6 Hz, 1H), 3.49 (q,  $J$  = 10.4, 8.9 Hz, 1H), 2.83 (dd,  $J$  = 12.6, 6.3 Hz, 1H), 2.19 – 2.09 (m, 1H).  $^{13}C$  NMR (126 MHz, Chloroform-*d*)  $\delta$  147.2, 144.2, 141.1, 128.8, 128.6, 127.3(6), 127.3(3), 126.9, 126.8, 125.8, 116.1, 113.3, 63.9, 58.2, 45.4, 43.4. HRMS (ESI)  $m/z$  calcd for  $C_{22}H_{21}N[M+H]^+$ : 300.1746; found: 300.1737.



### 1,3,5-triphenylpyrrolidin-2-one (5f)

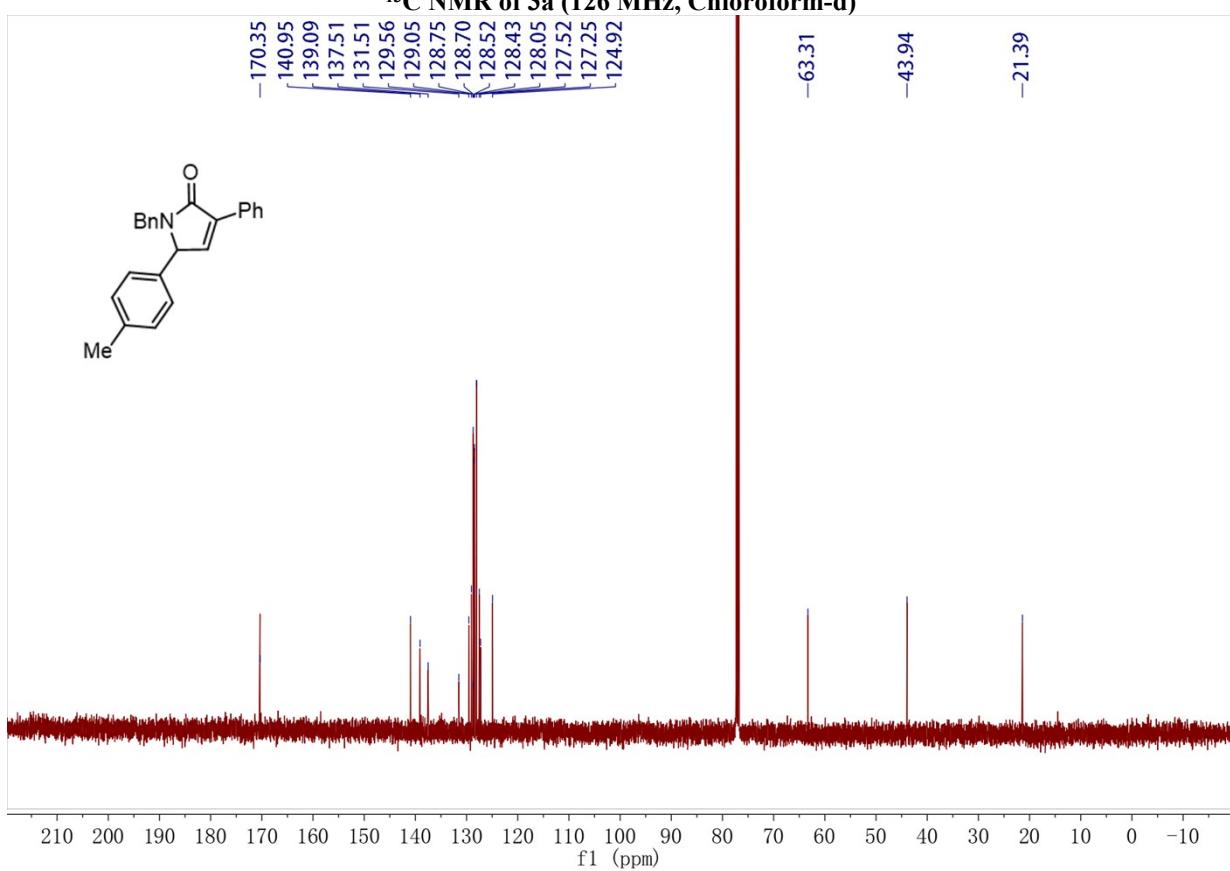
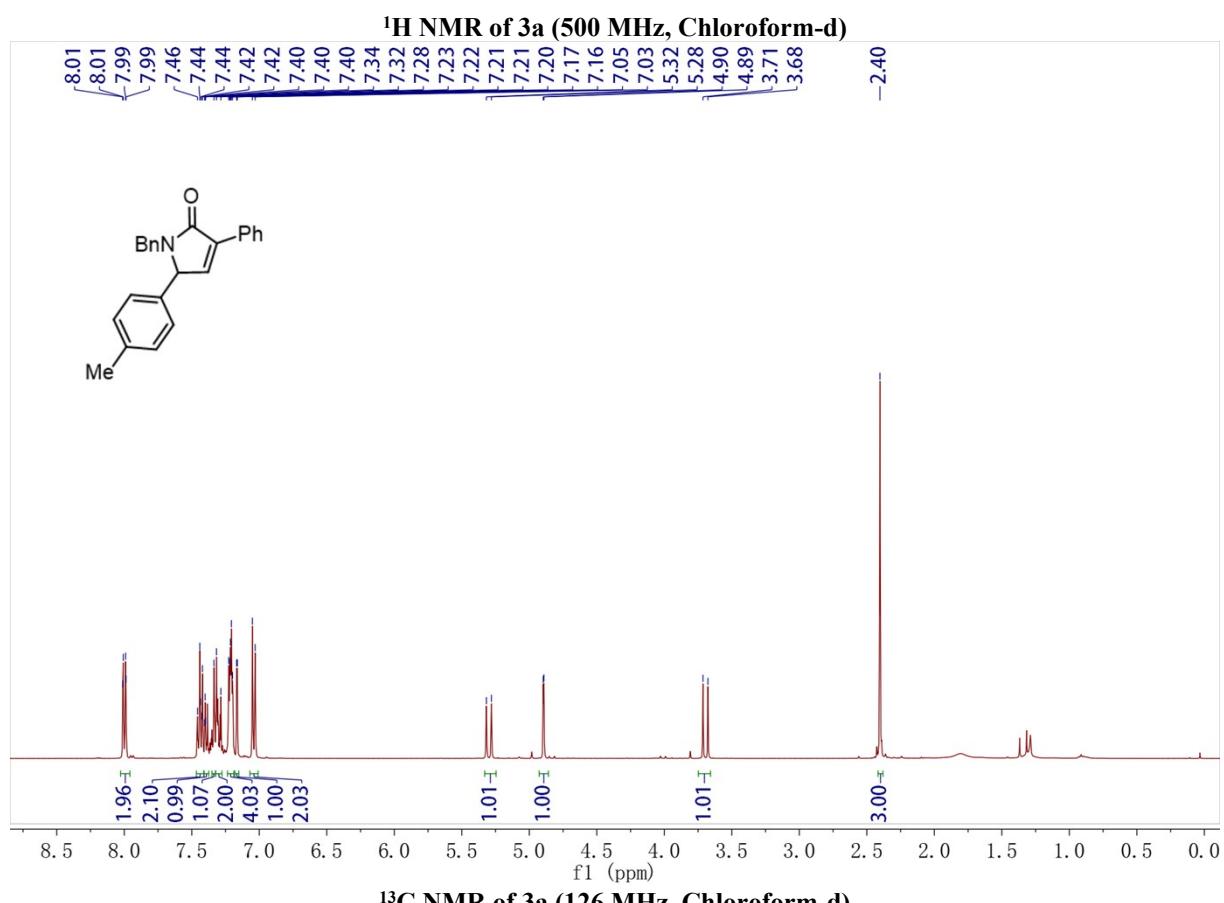
According to the general procedure, the reaction gave **5f** in 71% yield (46 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (500 MHz, Chloroform-*d*)  $\delta$  7.43 – 7.33 (m, 6H), 7.30 – 7.18 (m, 8H), 7.04 (t, *J* = 7.4 Hz, 1H), 5.30 (dd, *J* = 9.1, 6.9 Hz, 1H), 3.97 (dd, *J* = 11.5, 9.0 Hz, 1H), 3.06 (ddd, *J* = 13.1, 8.8, 7.0 Hz, 1H), 2.23 – 2.15 (m, 1H). <sup>13</sup>C NMR (126 MHz, Chloroform-*d*)  $\delta$  174.6, 140.7, 138.6, 137.7, 128.8, 128.7, 128.5, 128.2, 127.8, 127.2, 126.6, 125.2, 123.3, 61.6, 48.8, 39.1. HRMS (ESI) *m/z* calcd for C<sub>23</sub>H<sub>21</sub>NO[M+H]<sup>+</sup>: 328.1695; found: 328.1688.



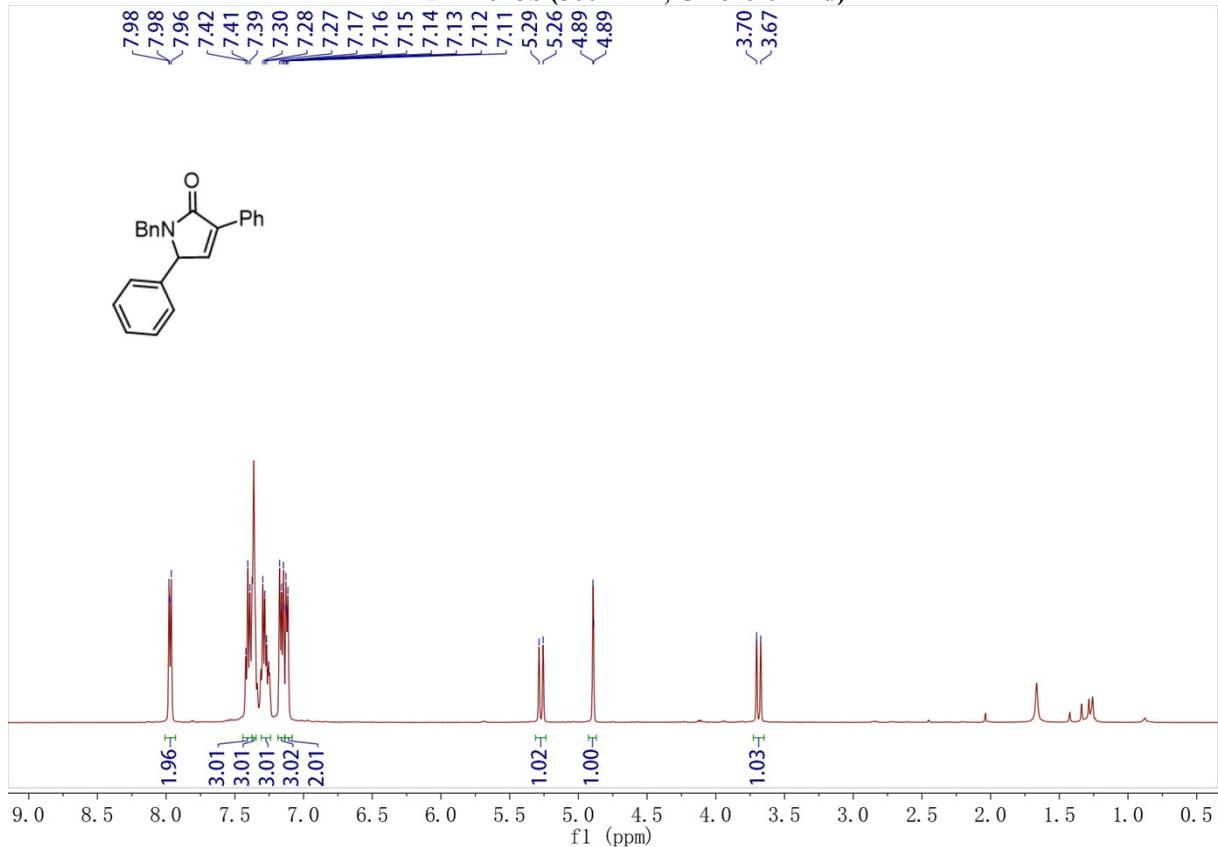
### N-benzyl-4-oxo-2-phenyl-4-(p-tolyl)butanamide (3a')

According to the general procedure, the reaction gave **3a'** in 62% yield (221 mg) as a white solid (flash column chromatography eluent, petrol ether/EtOAc = 10/1). <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  7.90 (d, *J* = 8.2 Hz, 2H), 7.44 – 7.39 (m, 2H), 7.36 (t, *J* = 7.3 Hz, 2H), 7.31 (d, *J* = 7.0 Hz, 1H), 7.29 – 7.23 (m, 5H), 7.20 – 7.14 (m, 2H), 6.01 (s, 1H), 4.44 (dd, *J* = 8.1, 5.9 Hz, 2H), 4.23 (dd, *J* = 9.0, 4.3 Hz, 1H), 4.12 (dd, *J* = 17.6, 9.0 Hz, 1H), 3.22 (dd, *J* = 17.6, 4.4 Hz, 1H), 2.42 (s, 3H). <sup>13</sup>C NMR (101 MHz, Chloroform-*d*)  $\delta$  197.8, 172.6, 143.9, 139.7, 138.2, 134.2, 129.2, 128.9, 128.5, 128.2, 128.0, 127.4, 127.2, 47.9, 43.7, 42.5, 21.6. HRMS (ESI) *m/z* calcd for C<sub>24</sub>H<sub>23</sub>NO<sub>2</sub>[M+H]<sup>+</sup>: 358.1801; found: 358.1811.

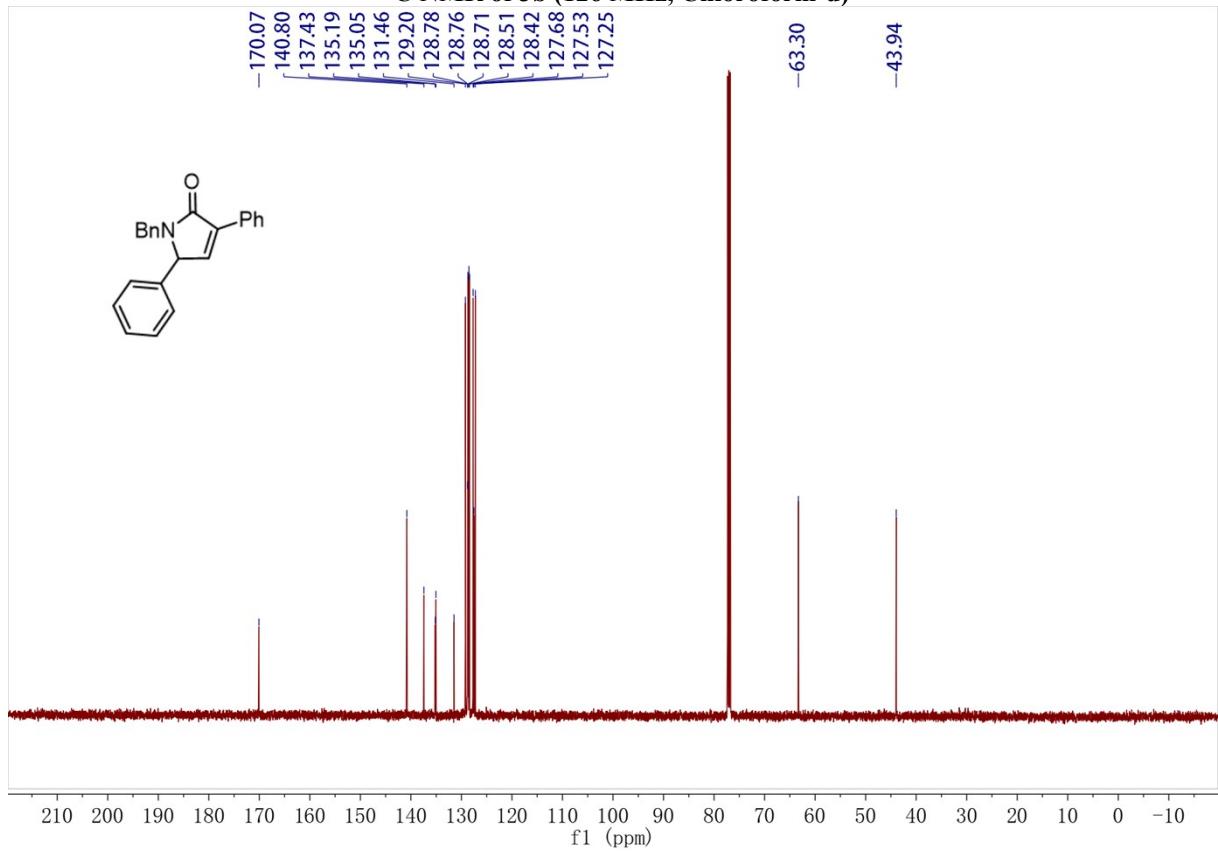
## 10. NMR Spectra



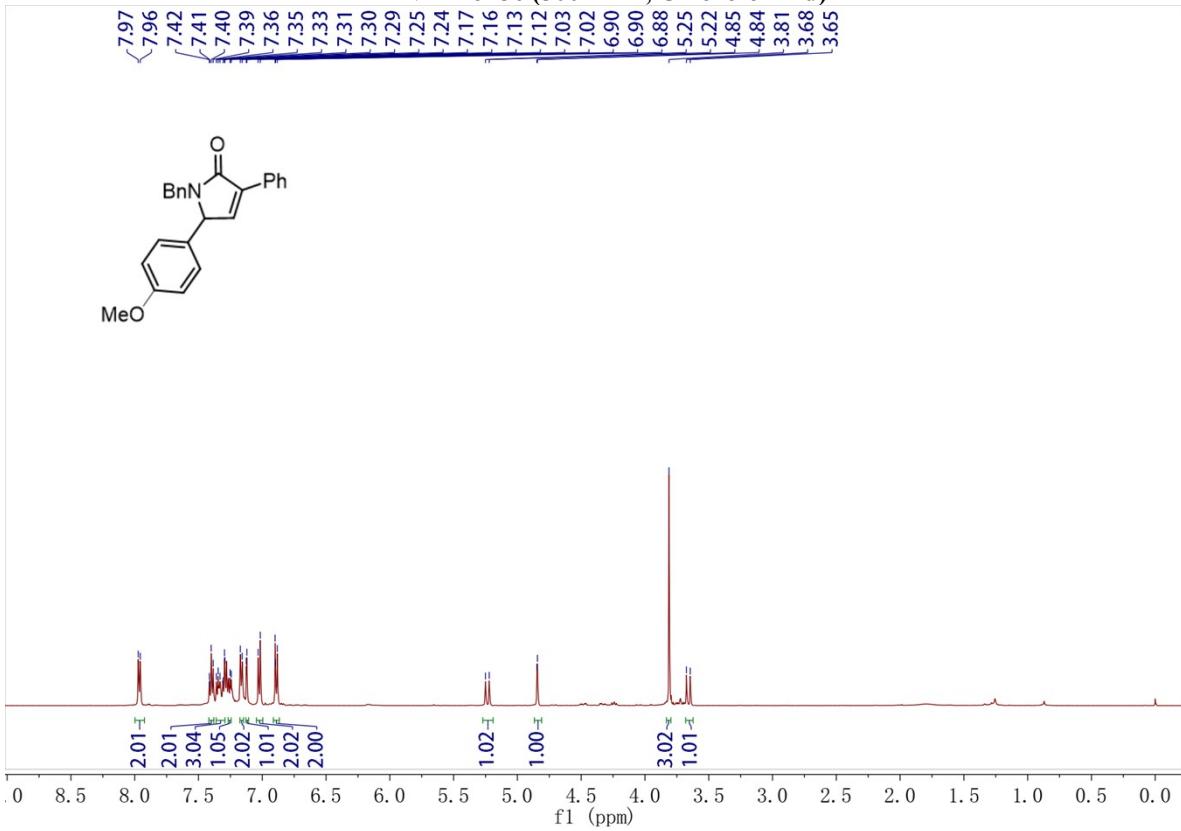
**<sup>1</sup>H NMR of 3b (500 MHz, Chloroform-d)**



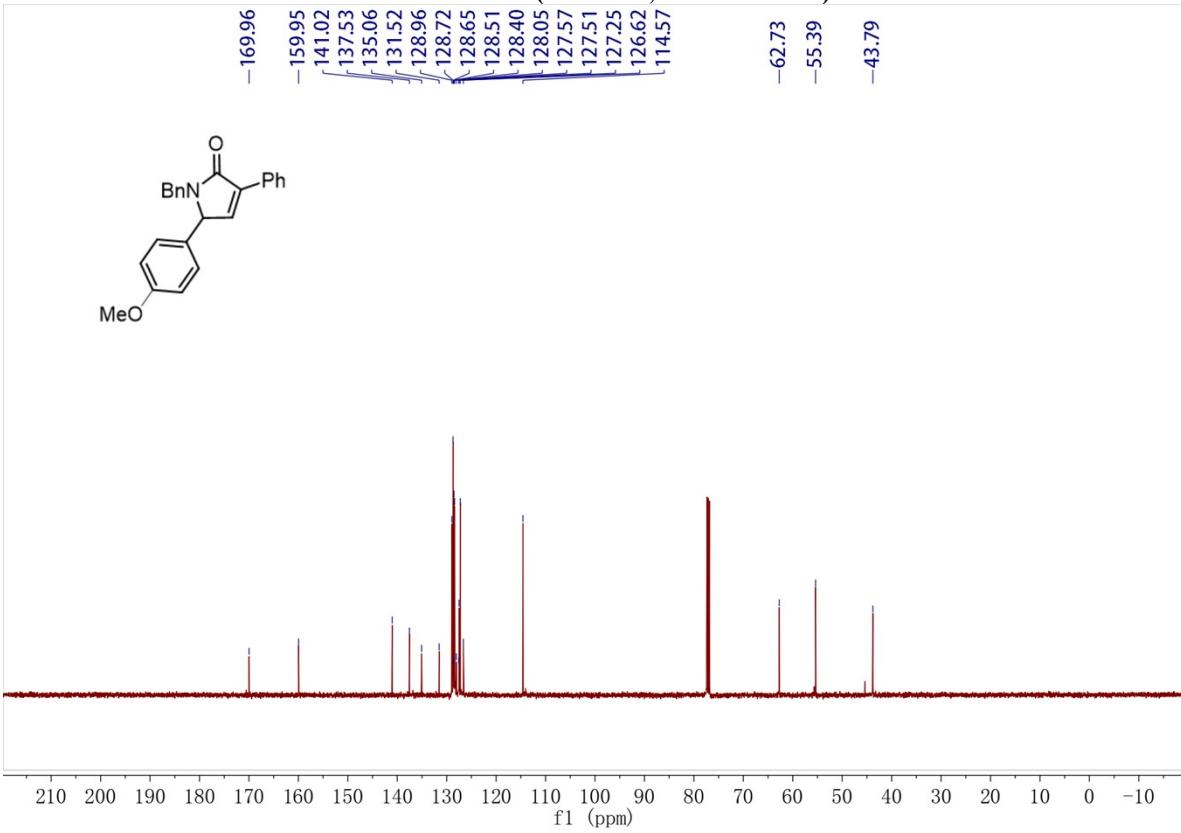
**<sup>13</sup>C NMR of 3b (126 MHz, Chloroform-d)**



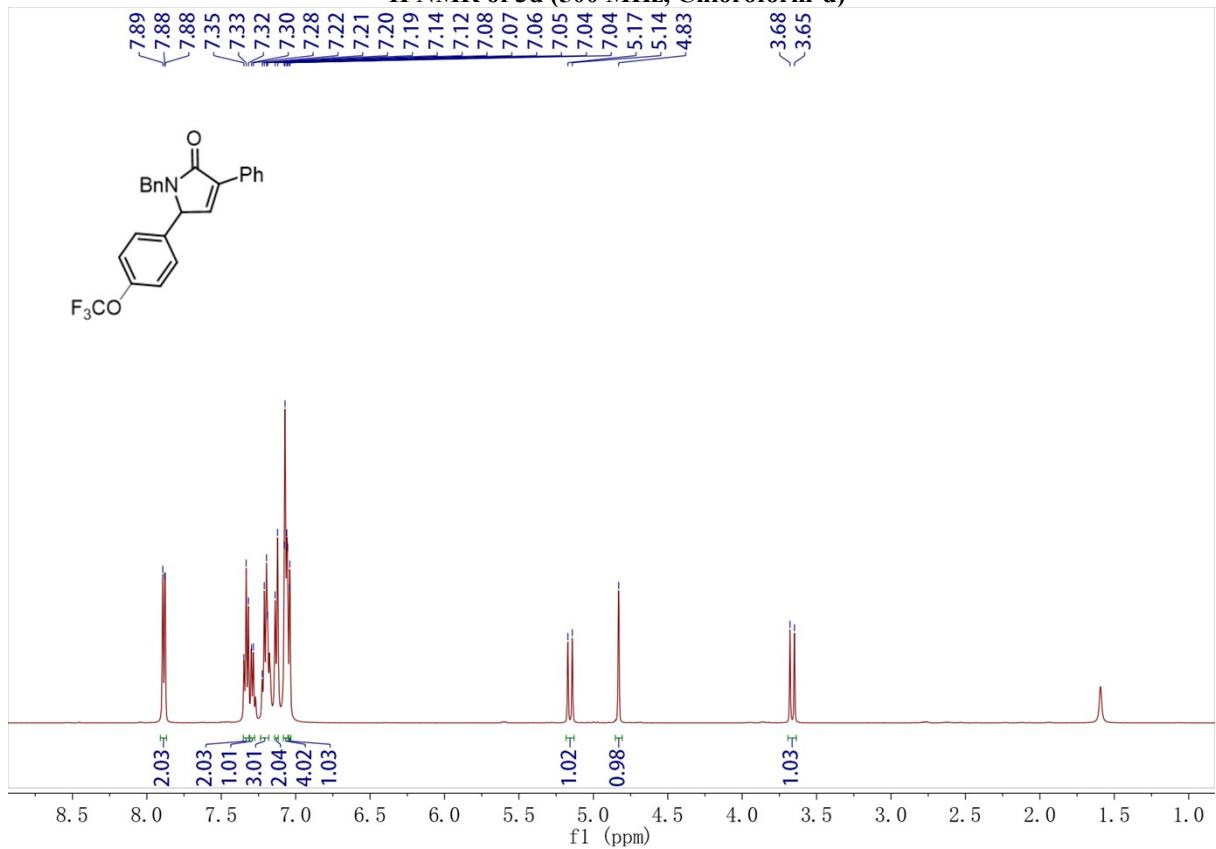
**<sup>1</sup>H NMR of 3c (500 MHz, Chloroform-d)**



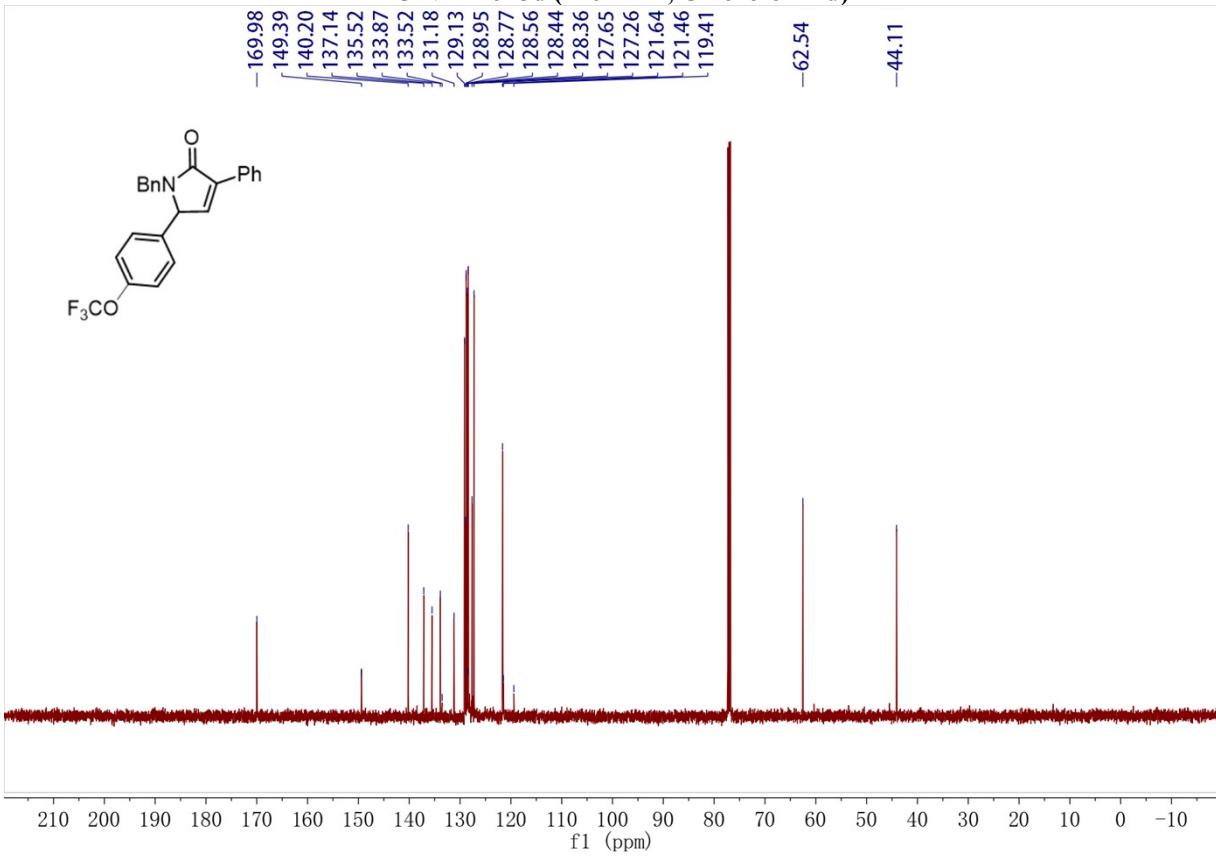
**<sup>13</sup>C NMR of 3c (126 MHz, Chloroform-d)**



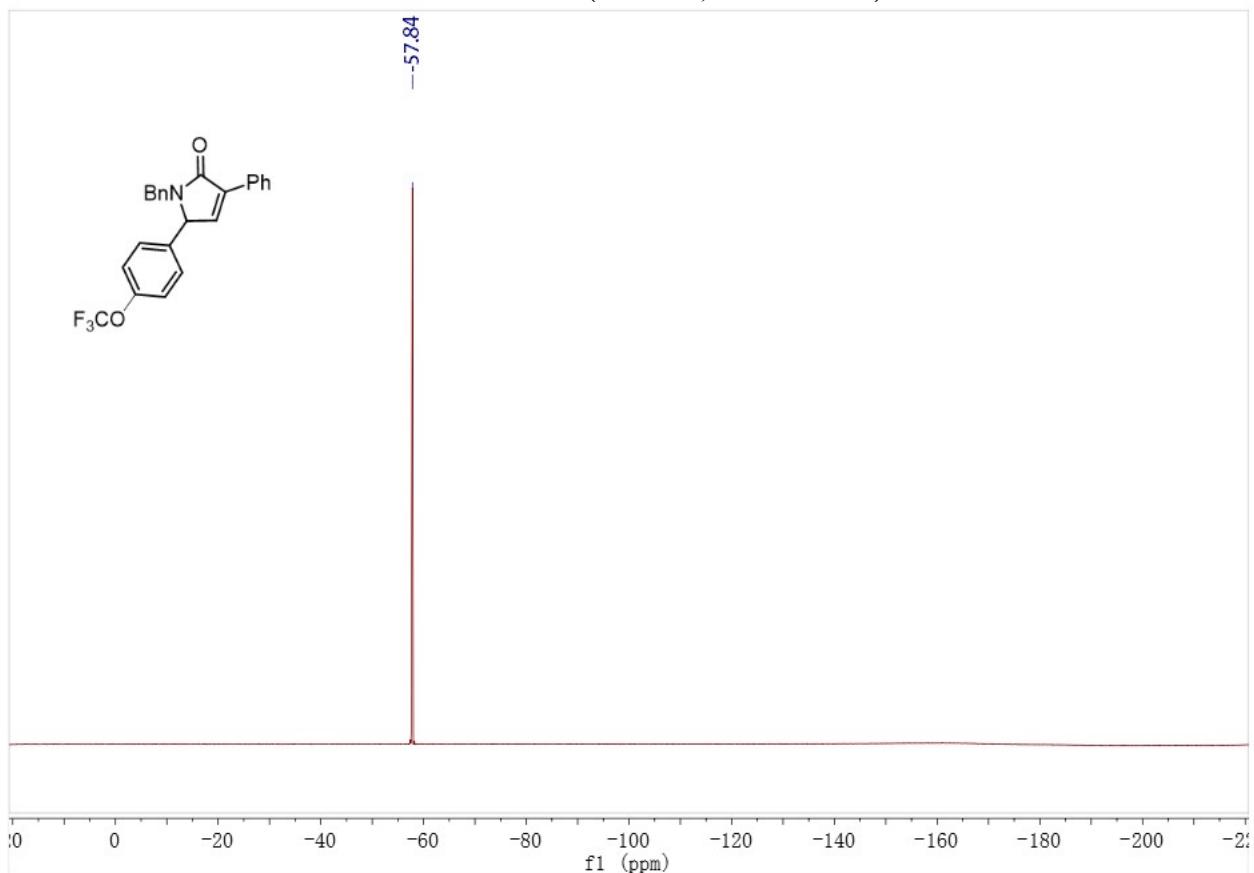
**<sup>1</sup>H NMR of 3d (500 MHz, Chloroform-d)**



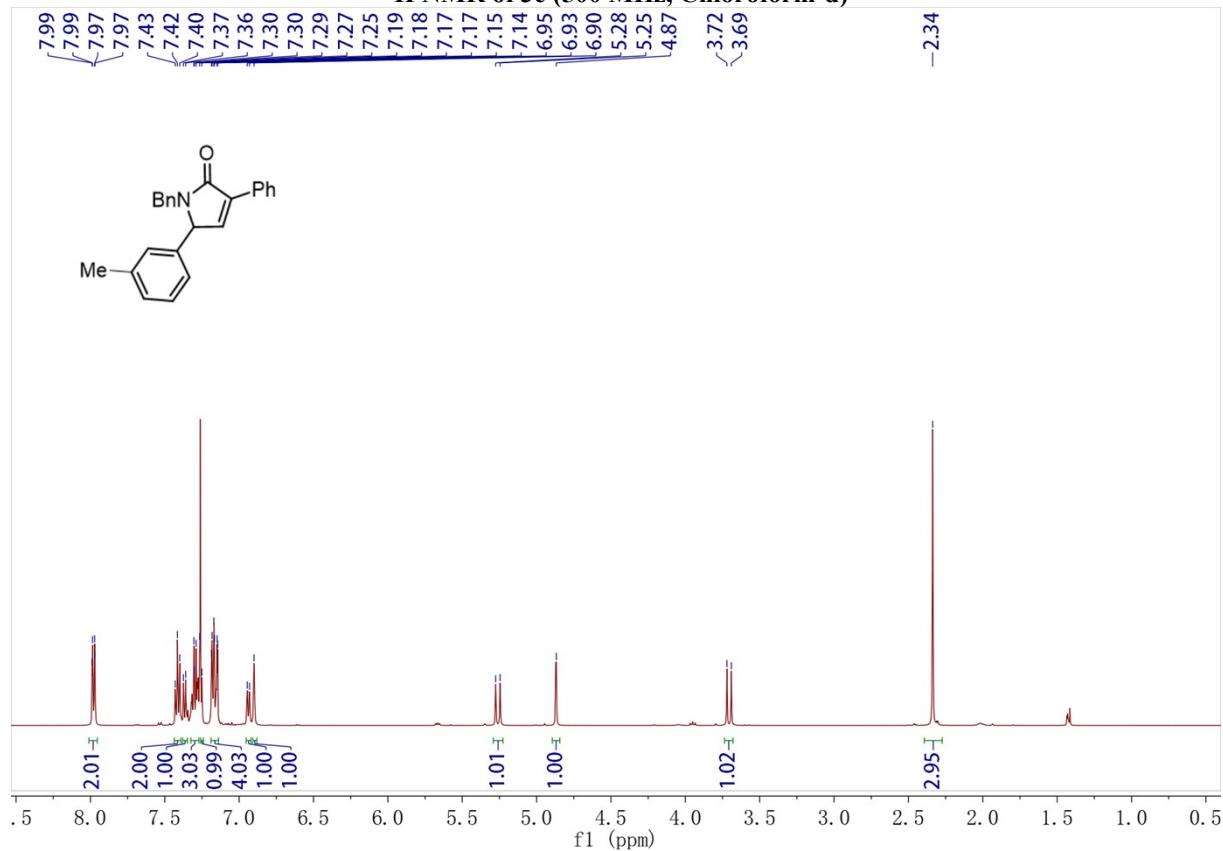
**<sup>13</sup>C NMR of 3d (126 MHz, Chloroform-d)**



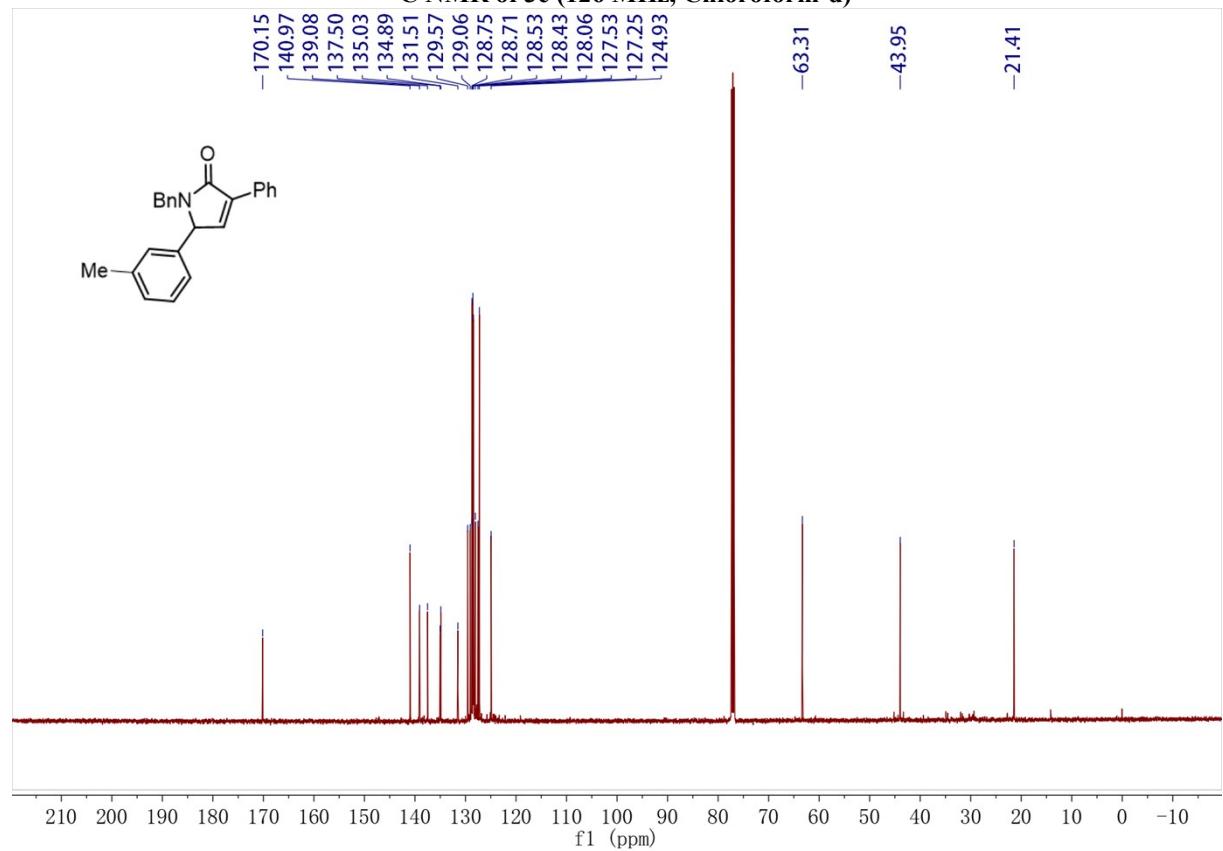
**<sup>19</sup>F NMR of 3d (471 MHz, Chloroform-d)**



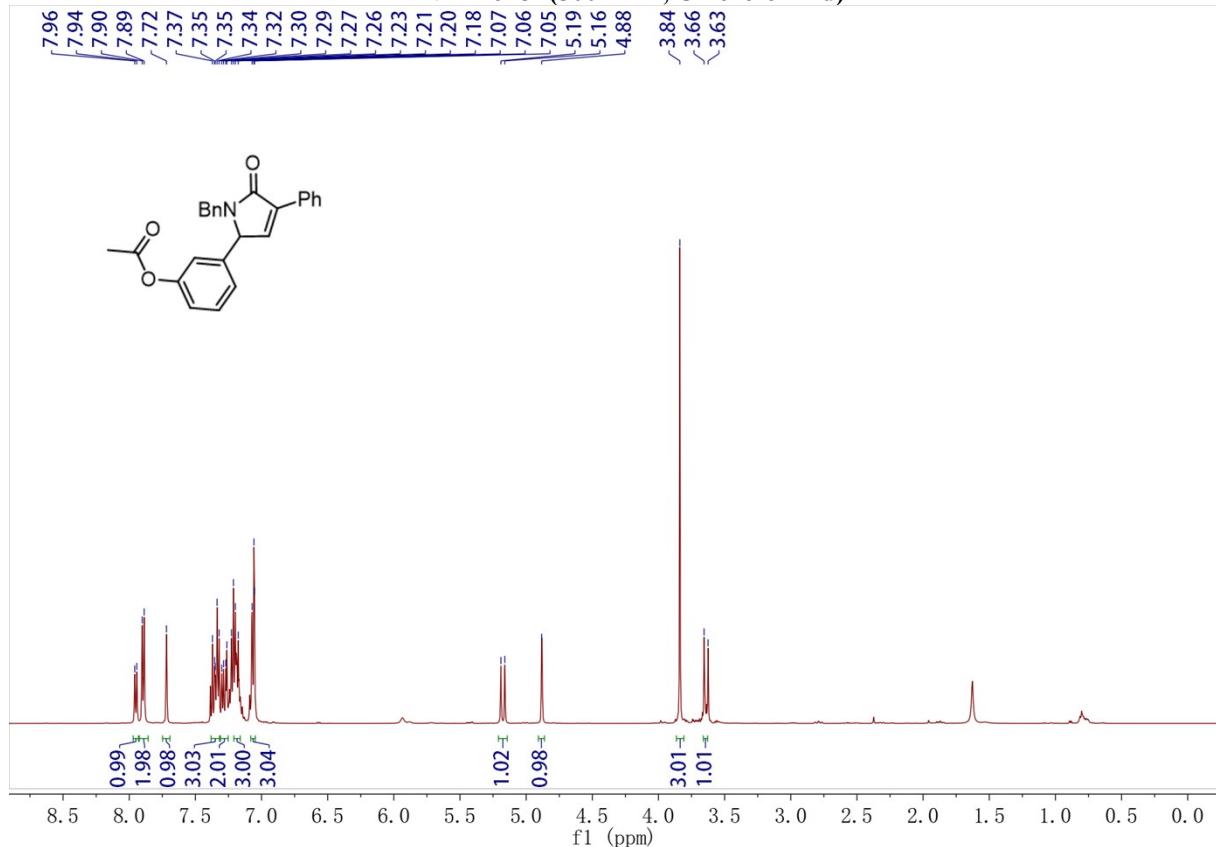
**<sup>1</sup>H NMR of 3e (500 MHz, Chloroform-d)**



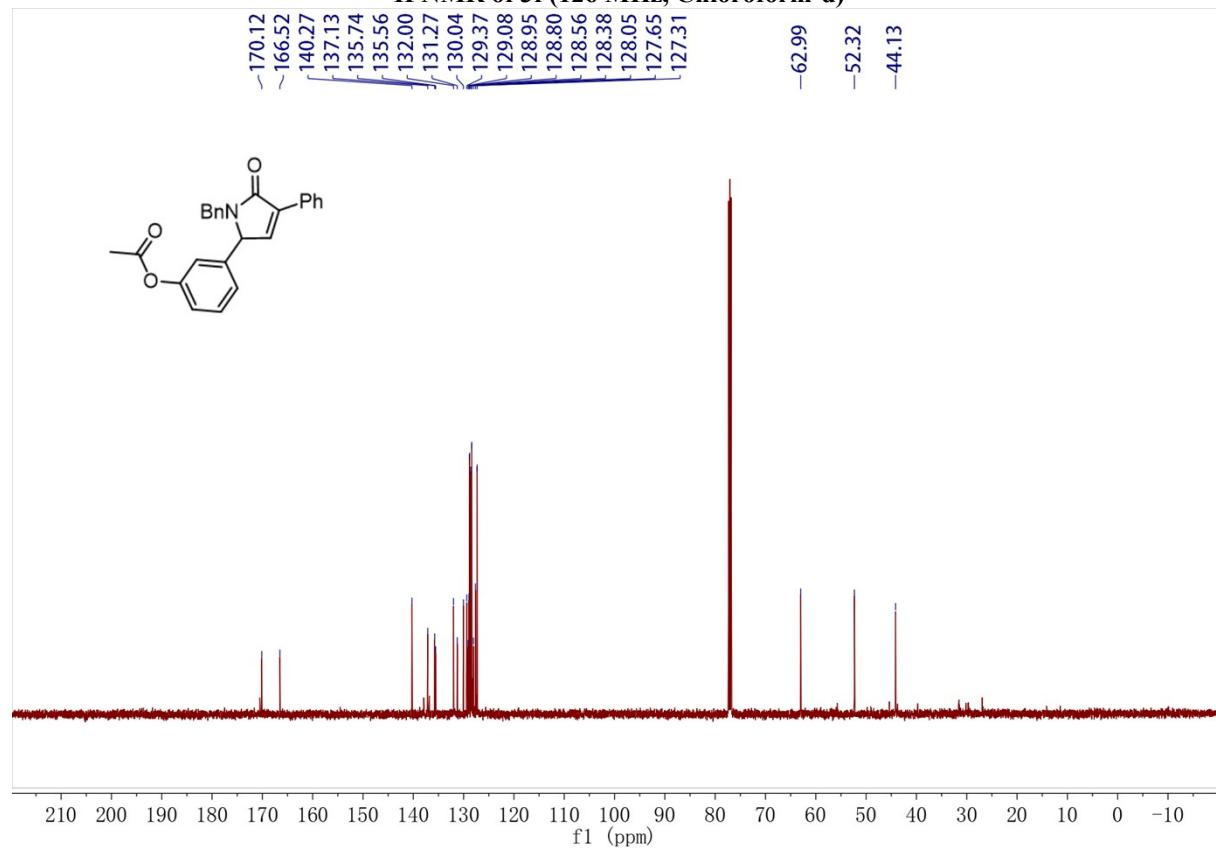
**<sup>13</sup>C NMR of 3e (126 MHz, Chloroform-d)**



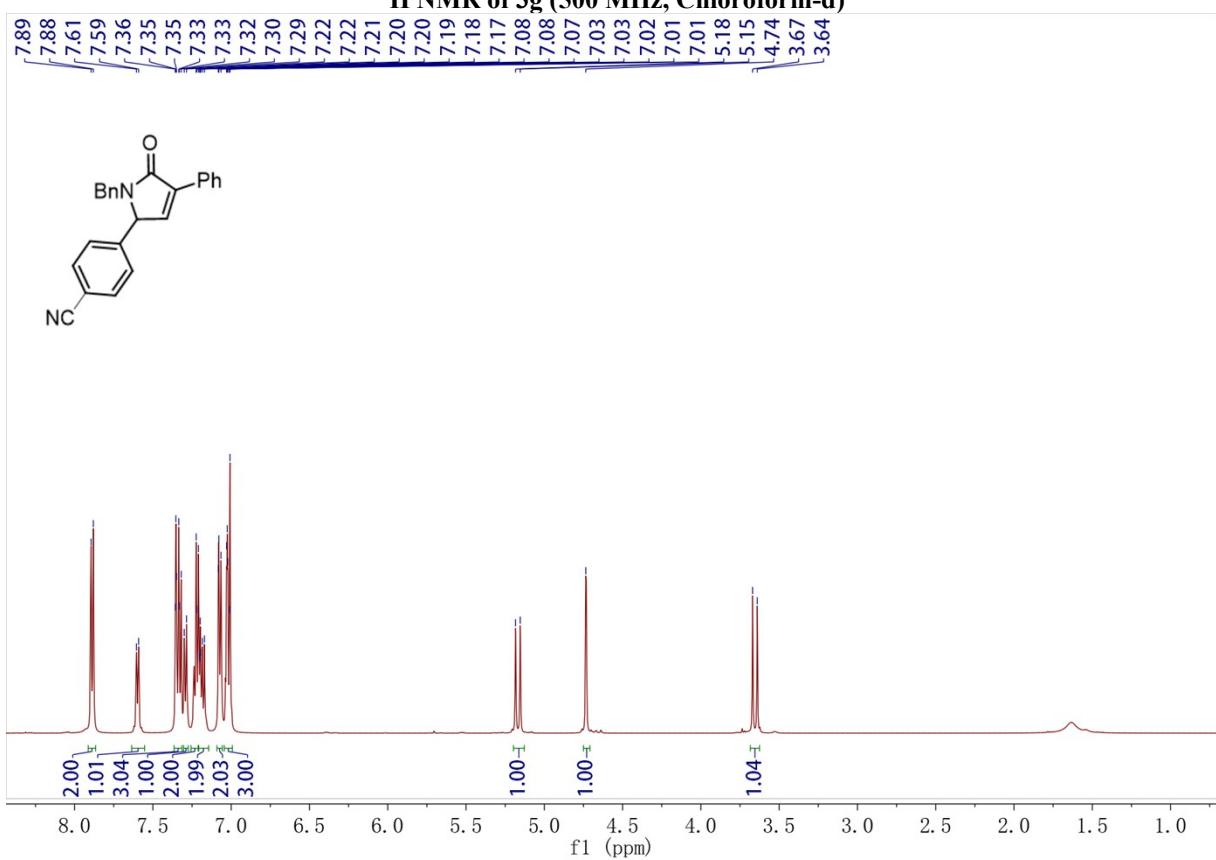
**<sup>1</sup>H NMR of 3f (500 MHz, Chloroform-d)**



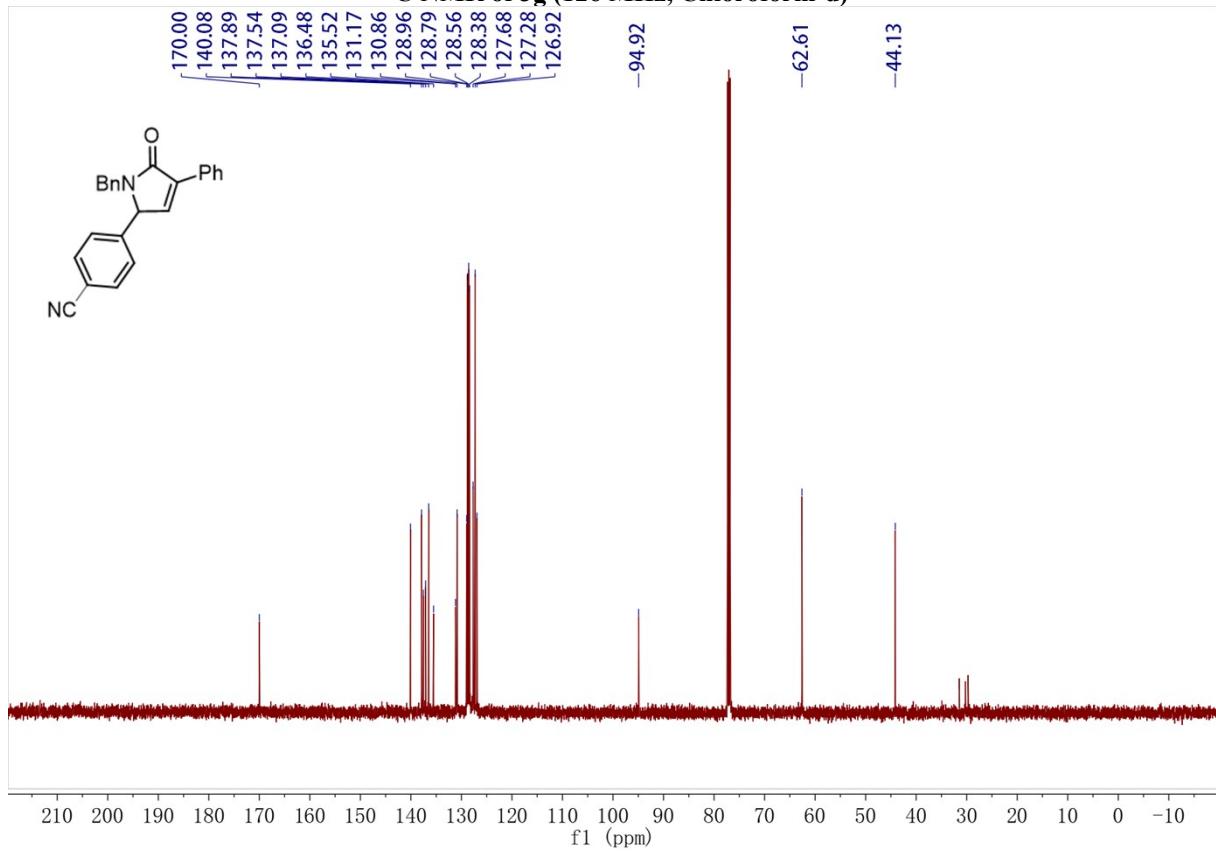
**<sup>1</sup>H NMR of 3f (126 MHz, Chloroform-d)**



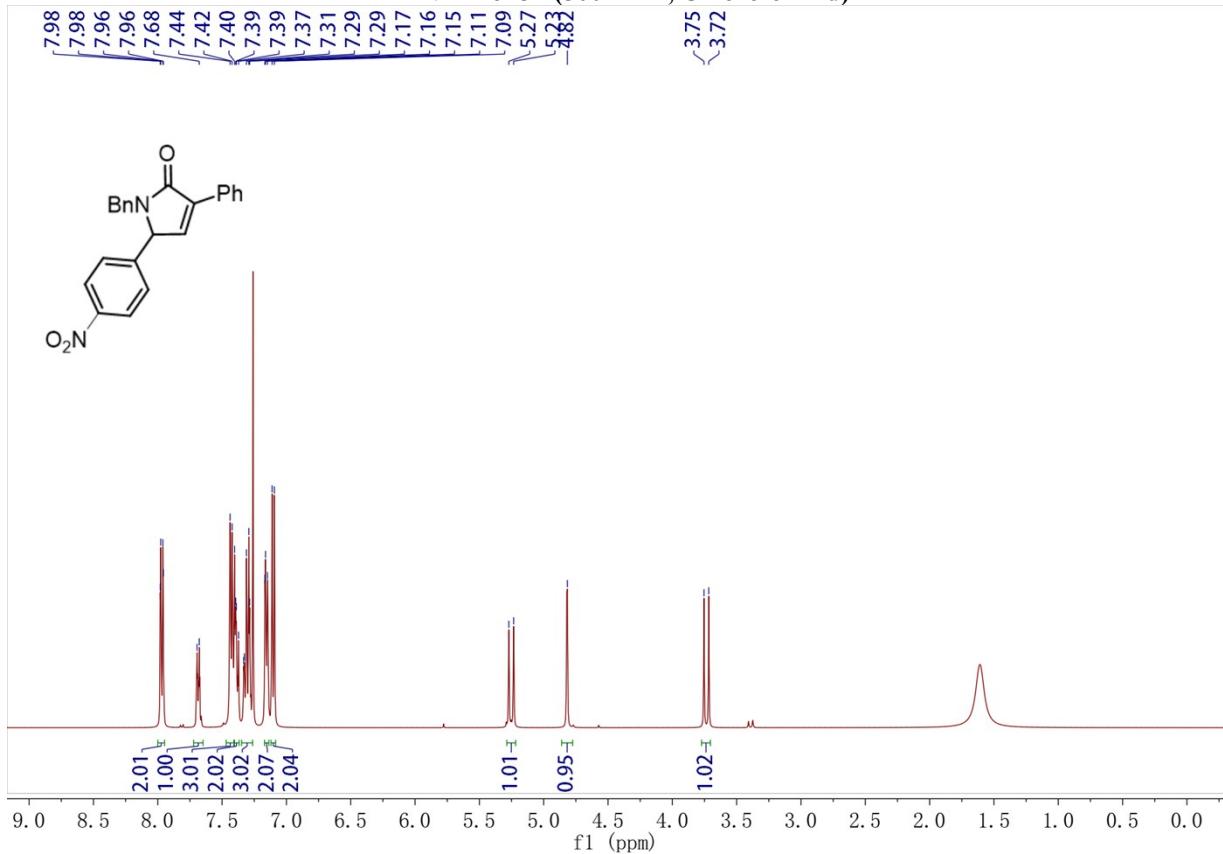
<sup>1</sup>H NMR of 3g (500 MHz, Chloroform-d)



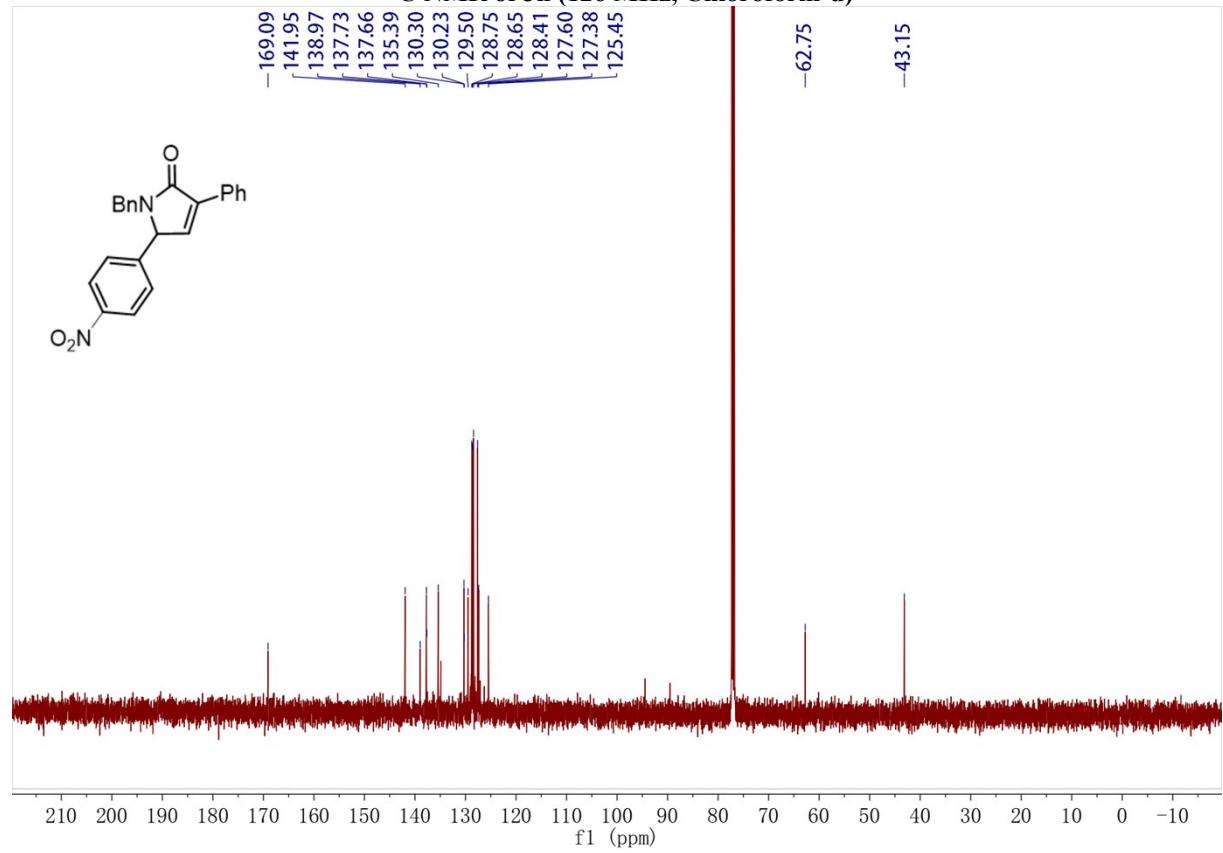
<sup>13</sup>C NMR of 3g (126 MHz, Chloroform-d)



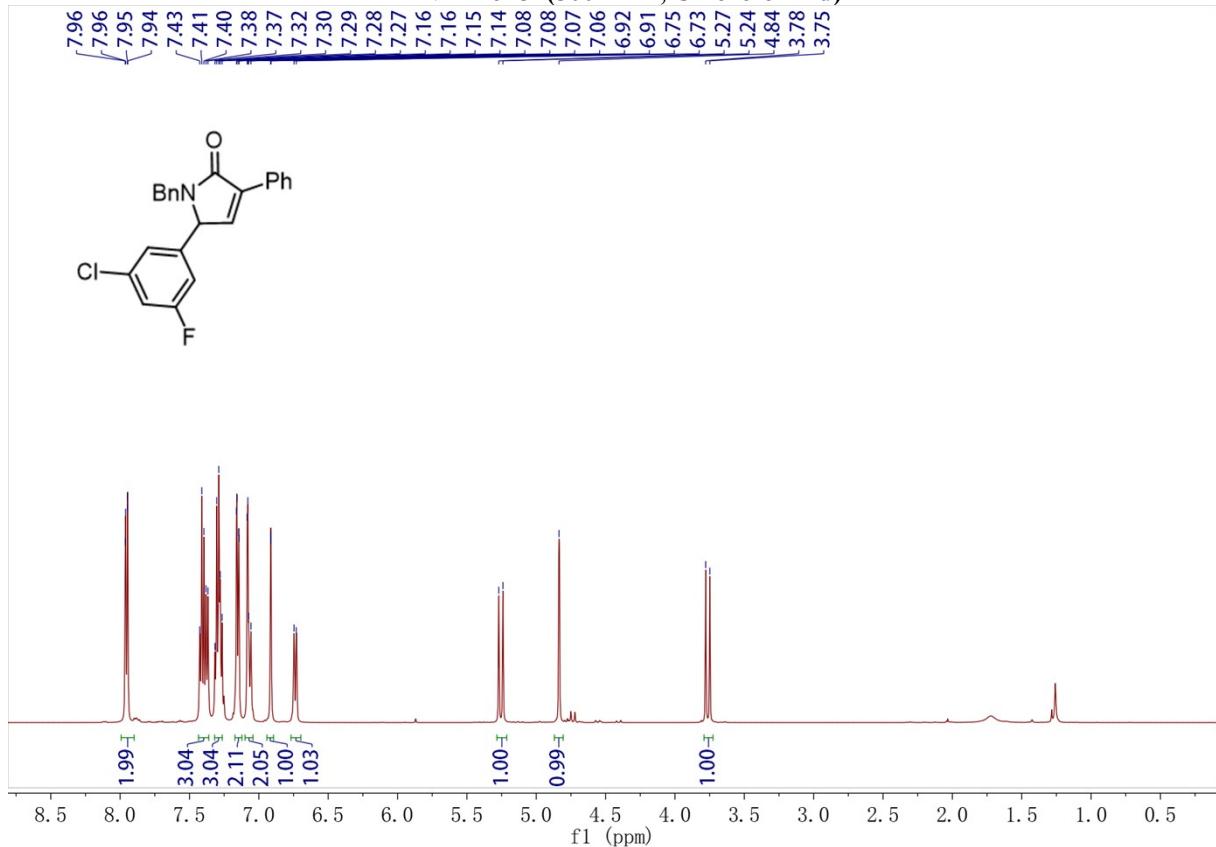
**<sup>1</sup>H NMR of 3h (500 MHz, Chloroform-d)**



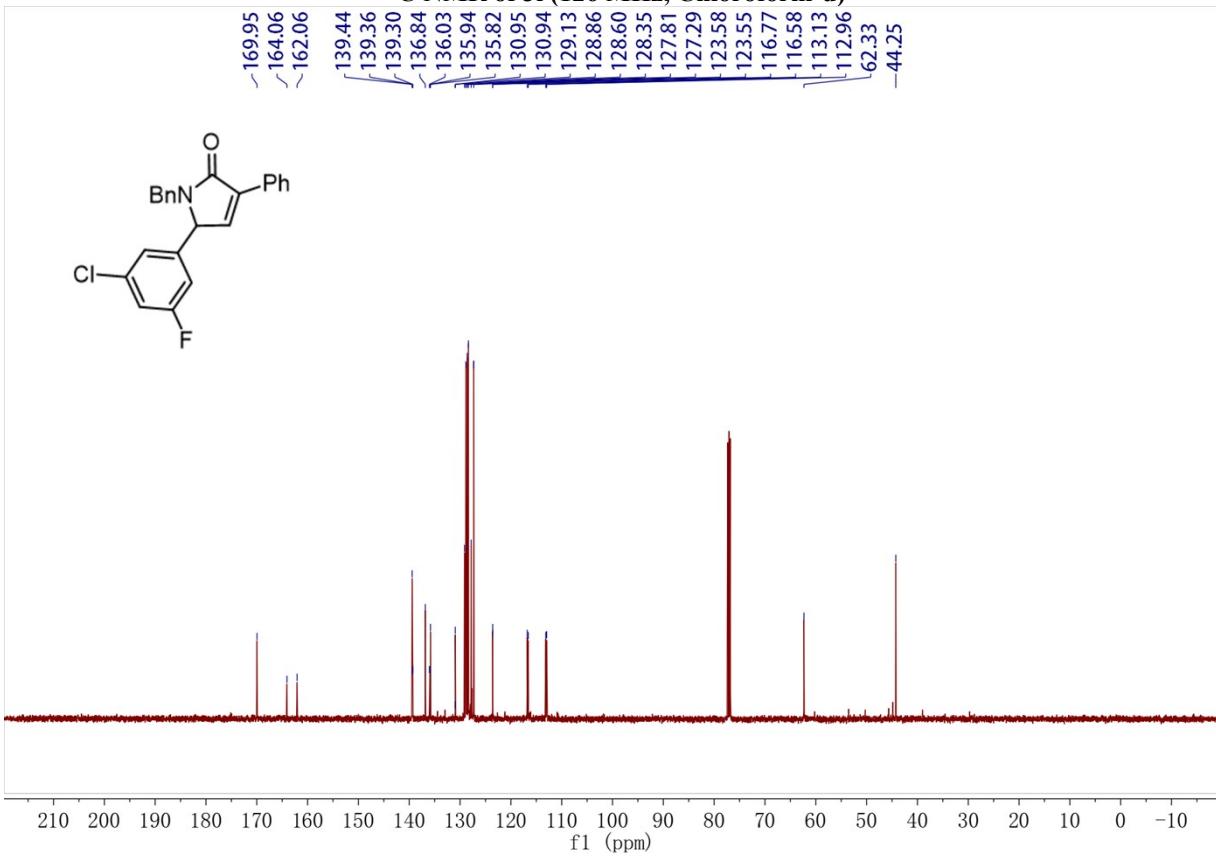
**<sup>13</sup>C NMR of 3h (126 MHz, Chloroform-d)**



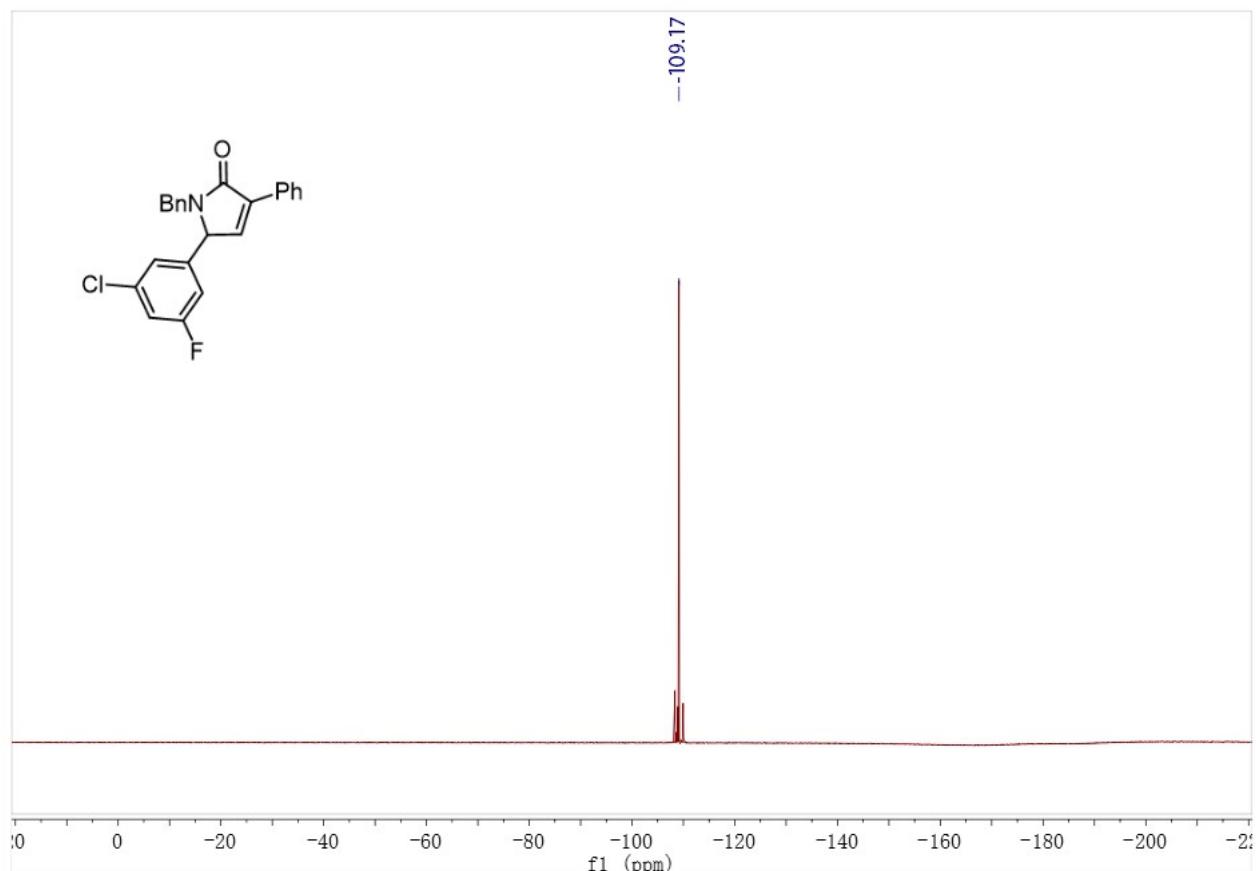
**<sup>1</sup>H NMR of 3i (500 MHz, Chloroform-d)**

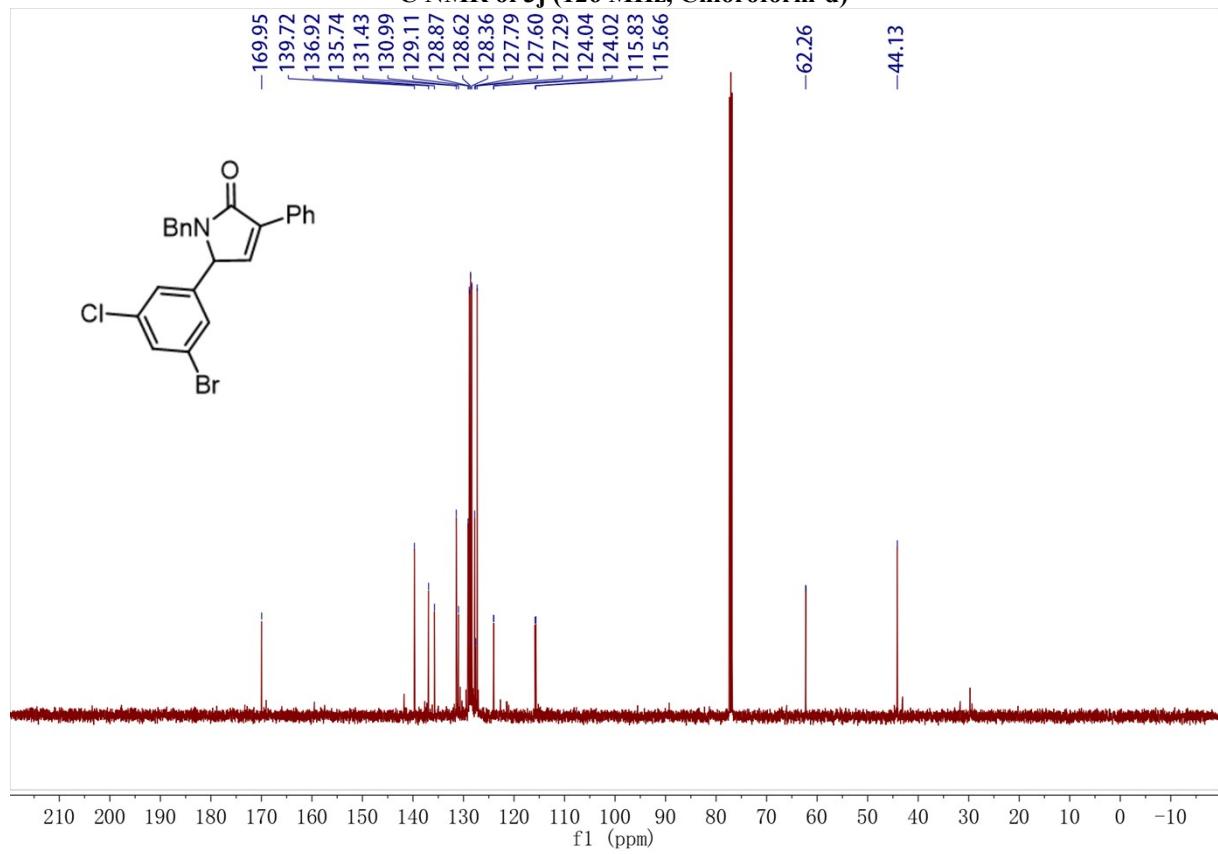
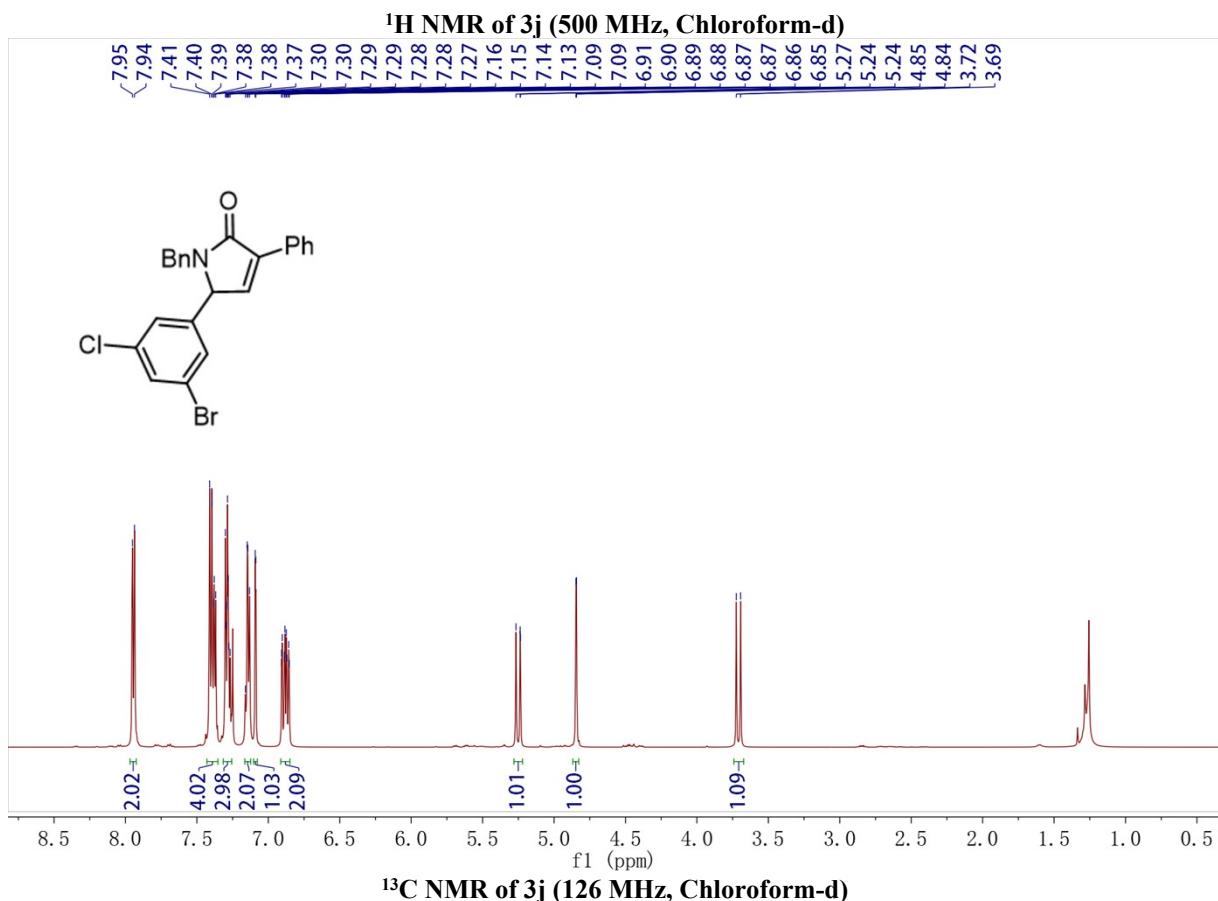


**<sup>13</sup>C NMR of 3i (126 MHz, Chloroform-d)**

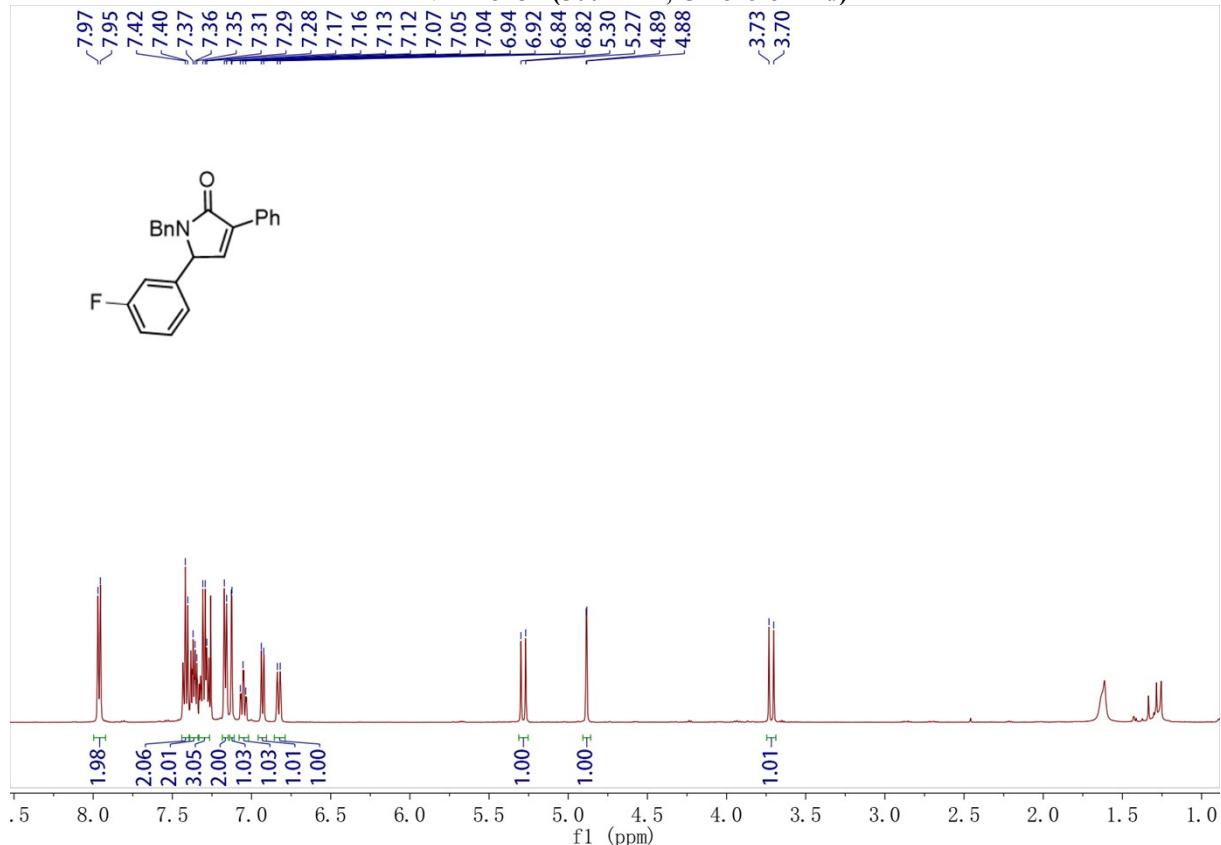


**<sup>19</sup>F NMR of 3i (471 MHz, Chloroform-d)**

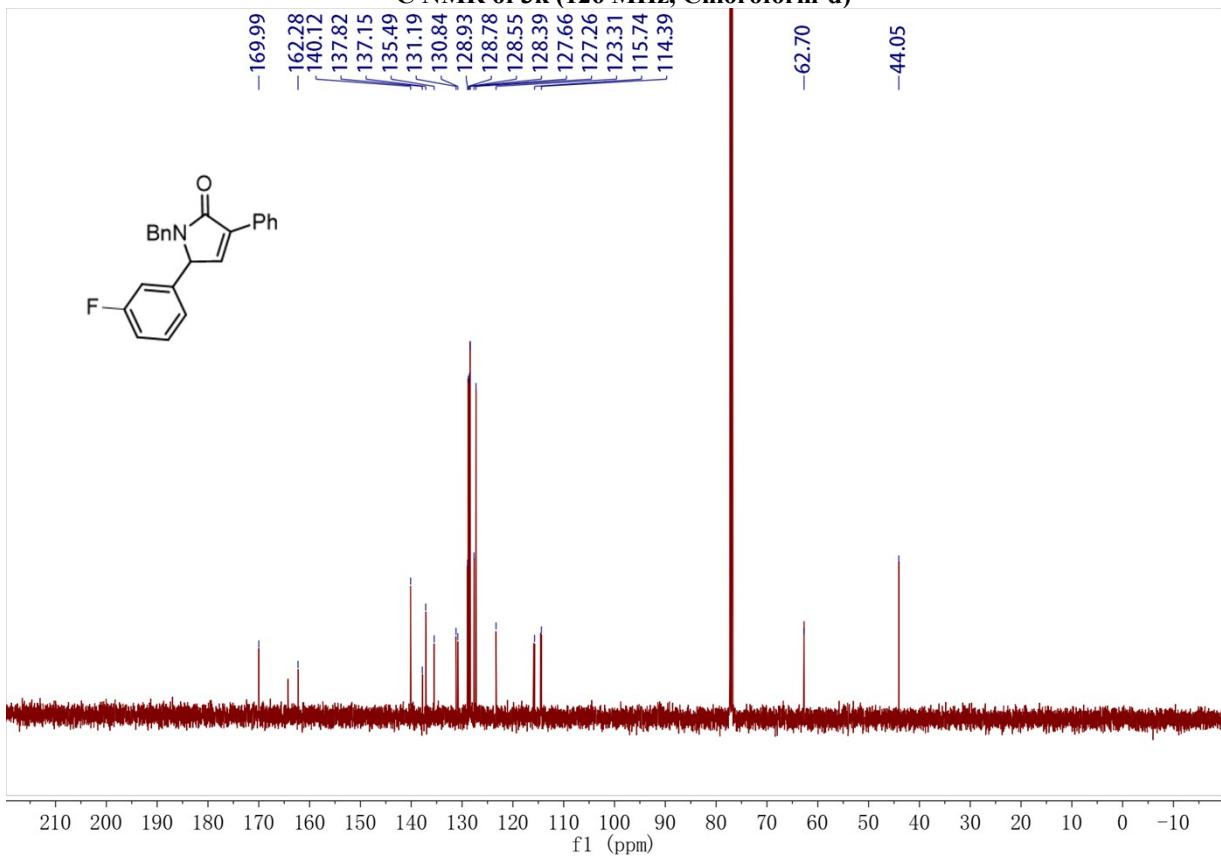




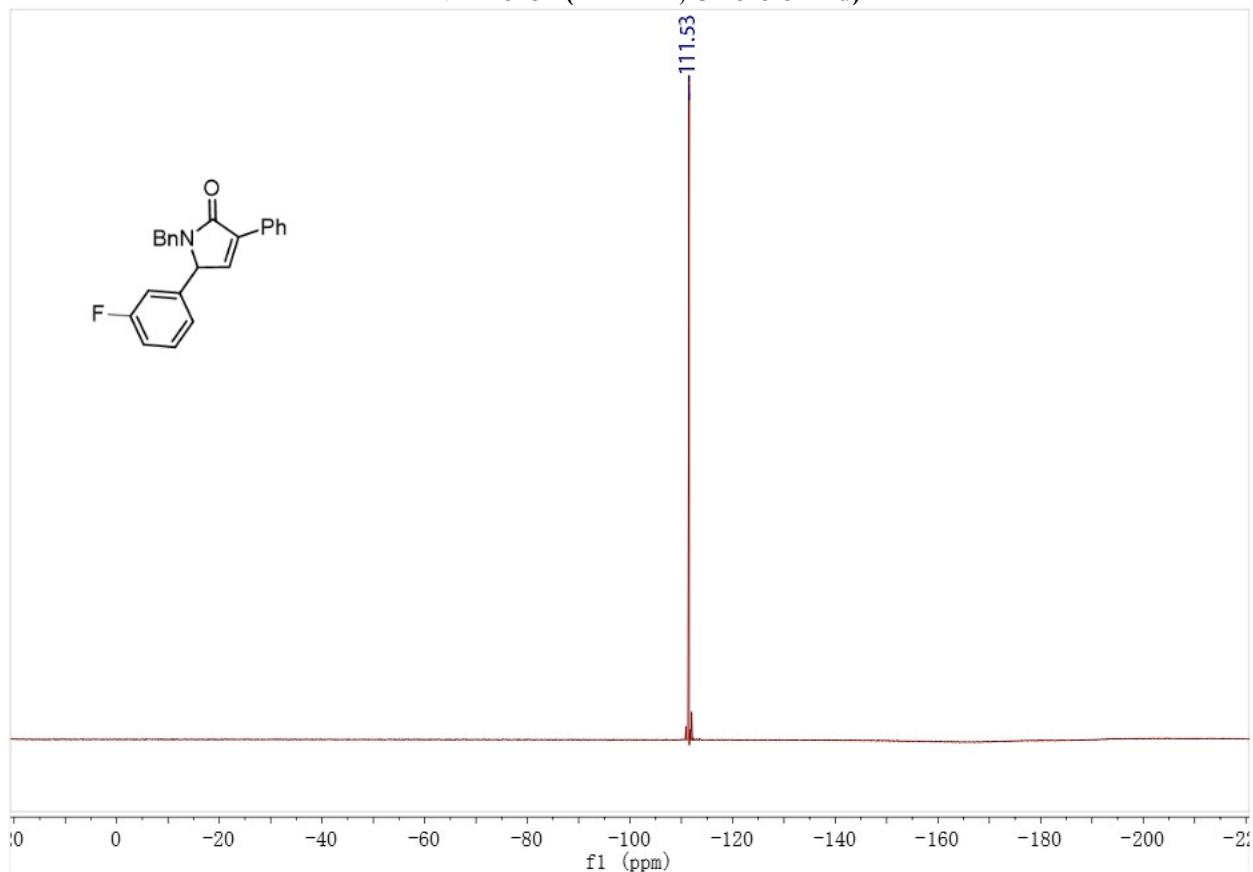
**<sup>1</sup>H NMR of 3k (500 MHz, Chloroform-d)**



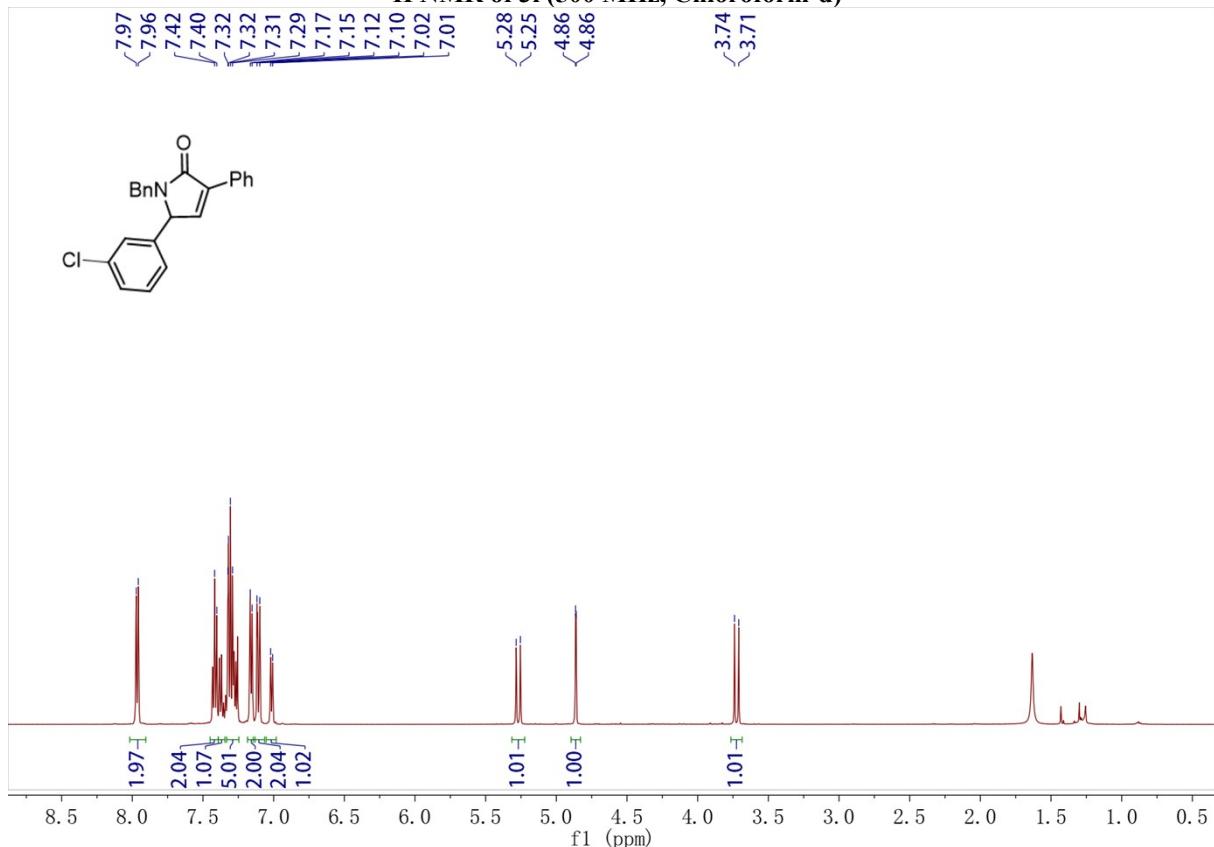
**<sup>13</sup>C NMR of 3k (126 MHz, Chloroform-d)**



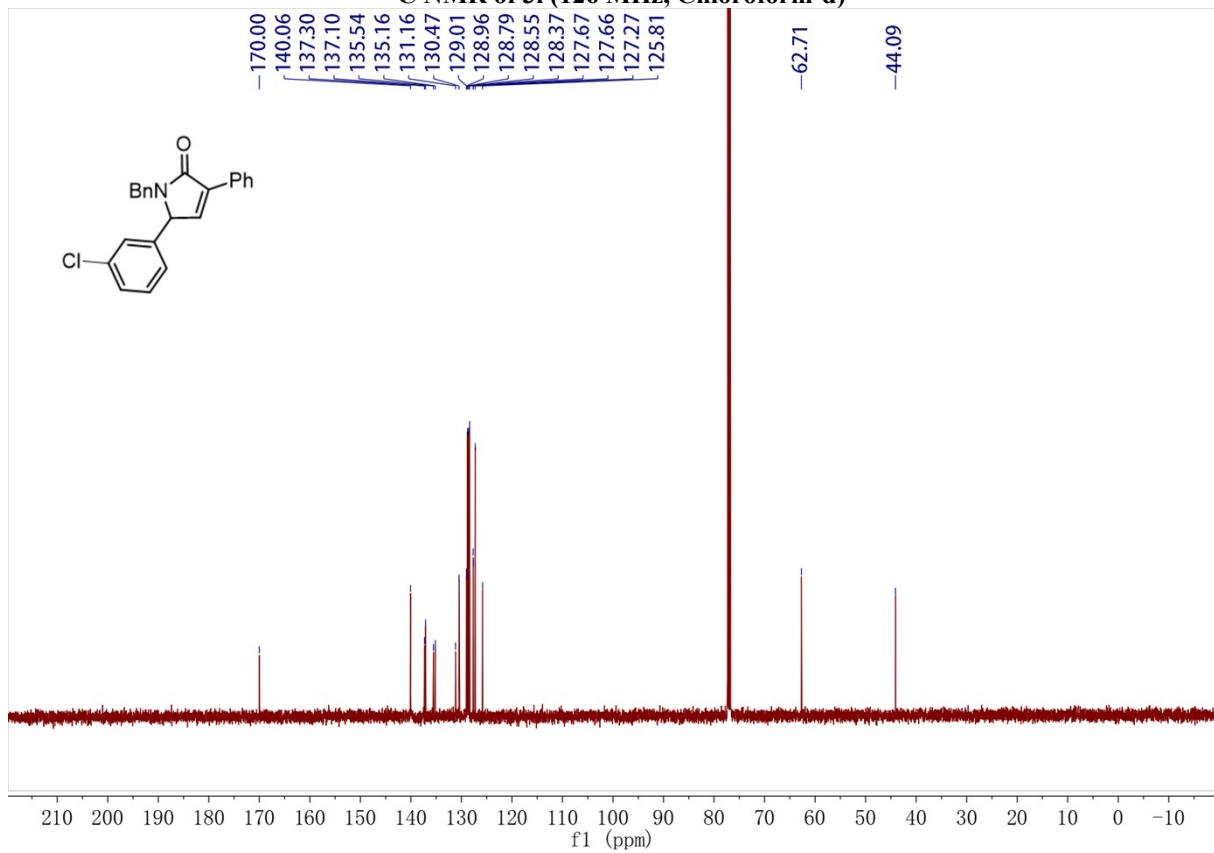
**<sup>19</sup>F NMR of 3k (471 MHz, Chloroform-d)**



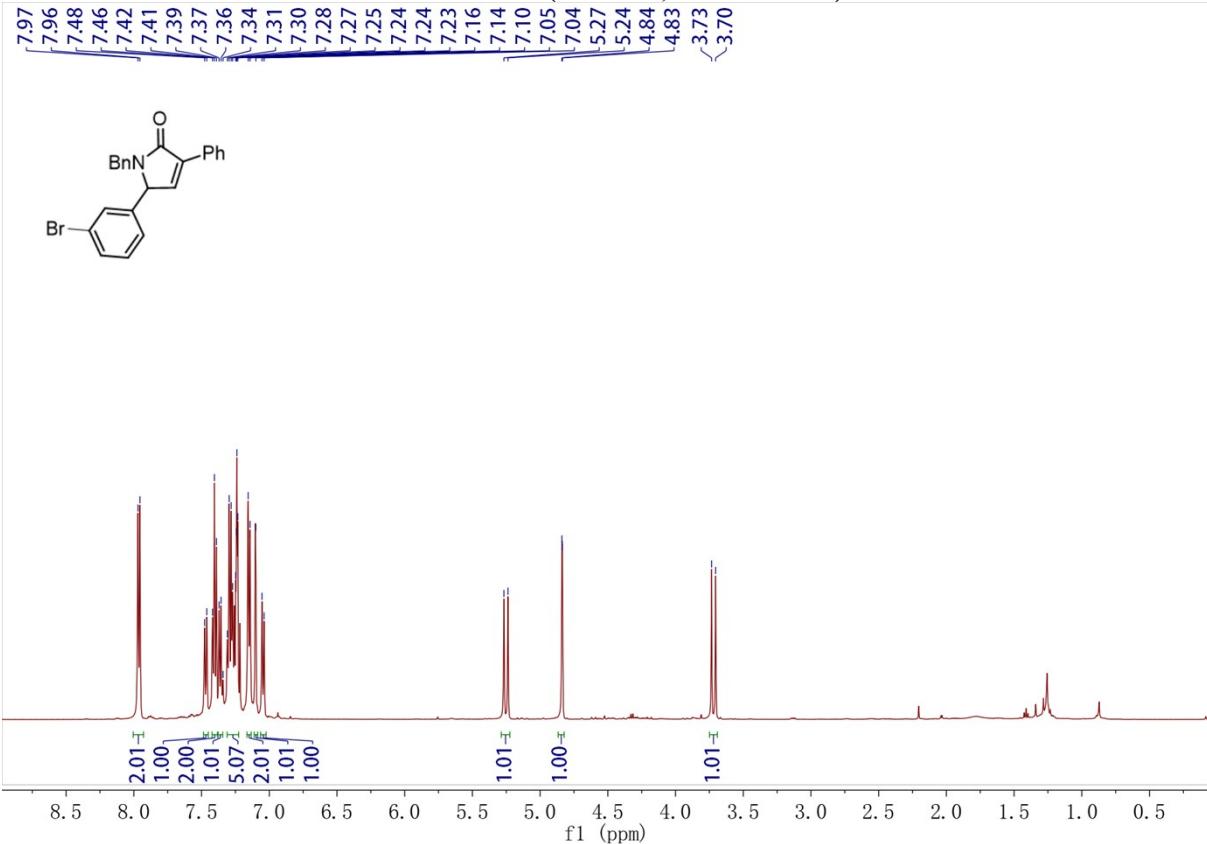
**<sup>1</sup>H NMR of 3l (500 MHz, Chloroform-d)**



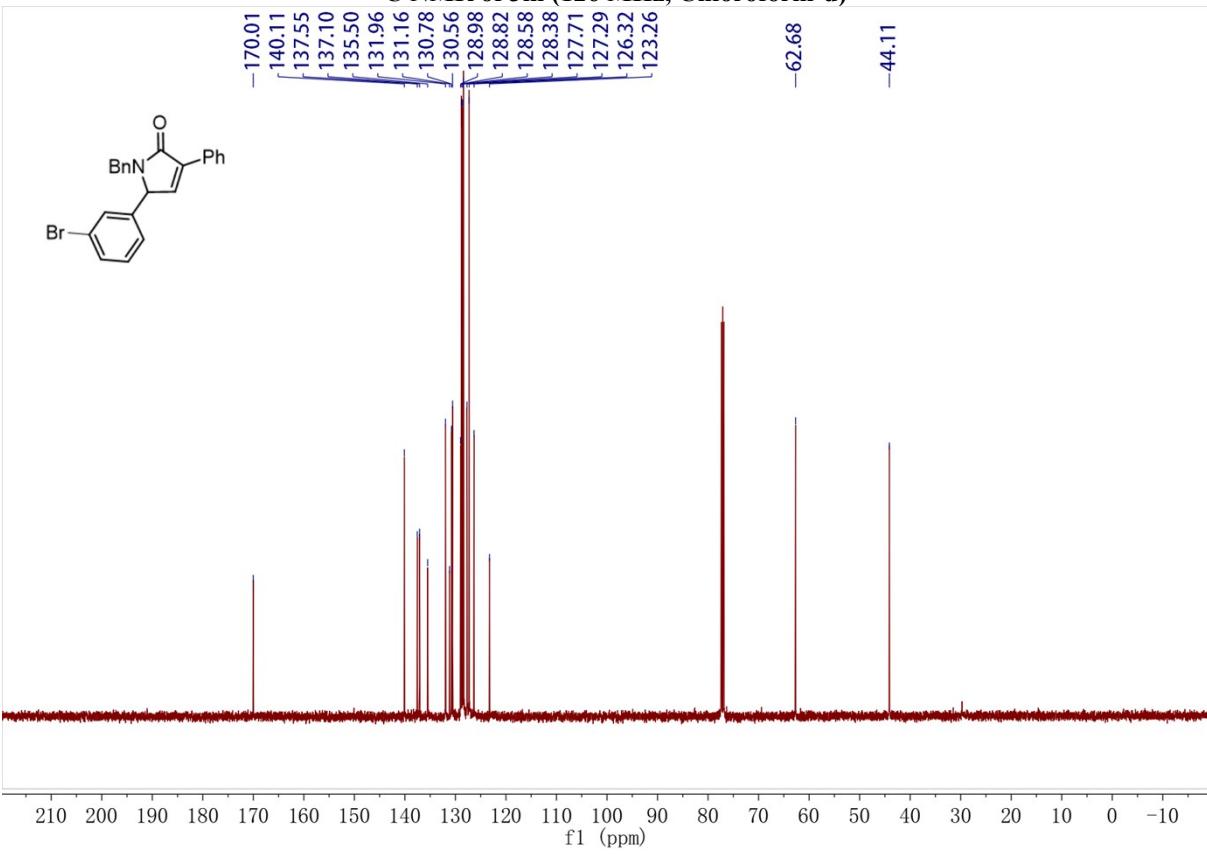
**<sup>13</sup>C NMR of 3l (126 MHz, Chloroform-d)**

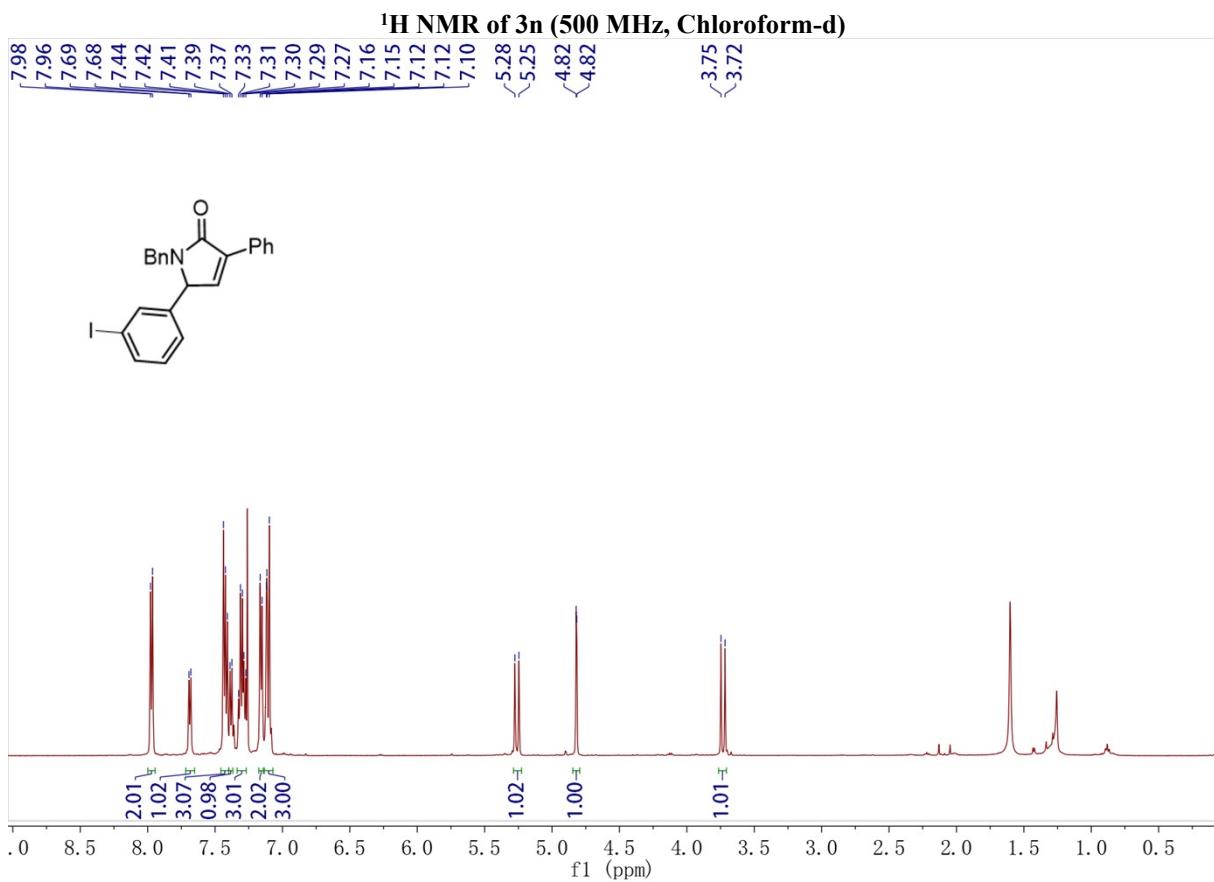


**<sup>1</sup>H NMR of 3m (500 MHz, Chloroform-d)**

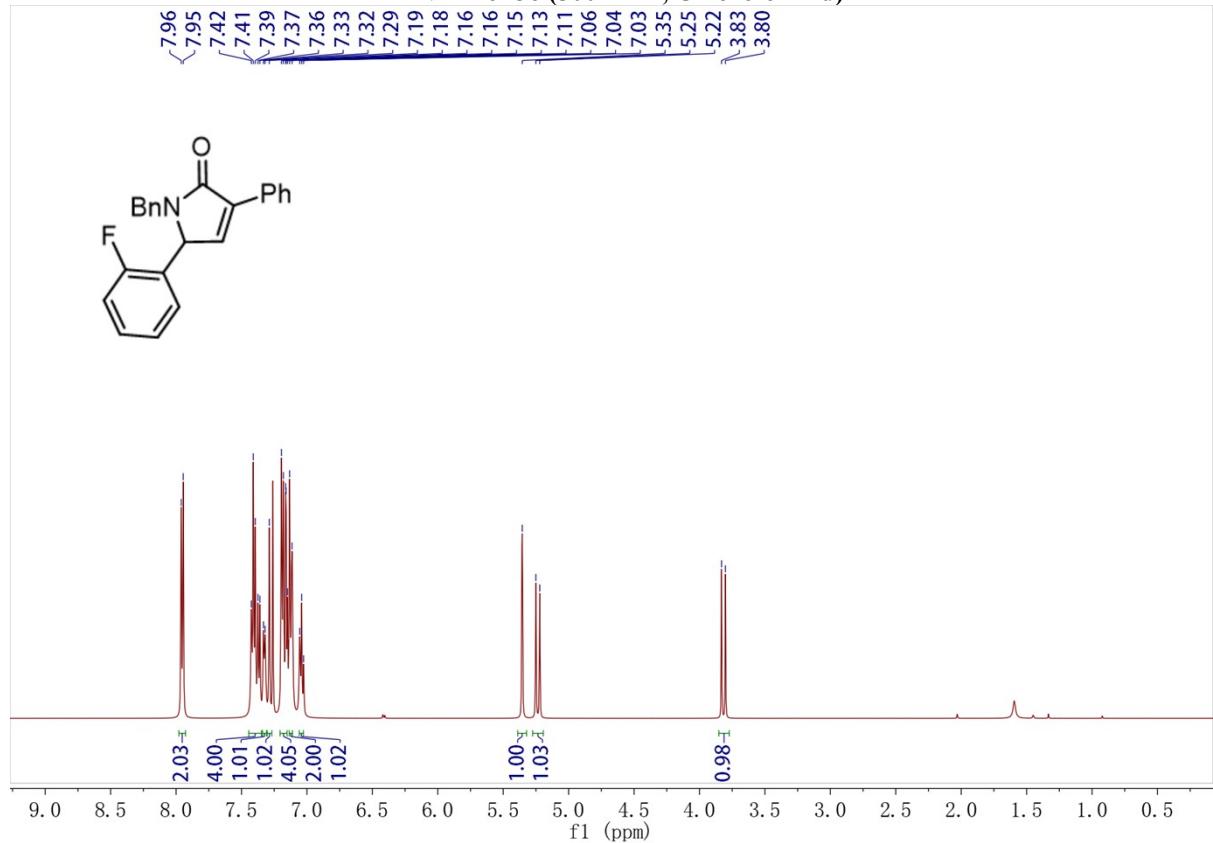


### **<sup>13</sup>C NMR of 3m (126 MHz, Chloroform-d)**

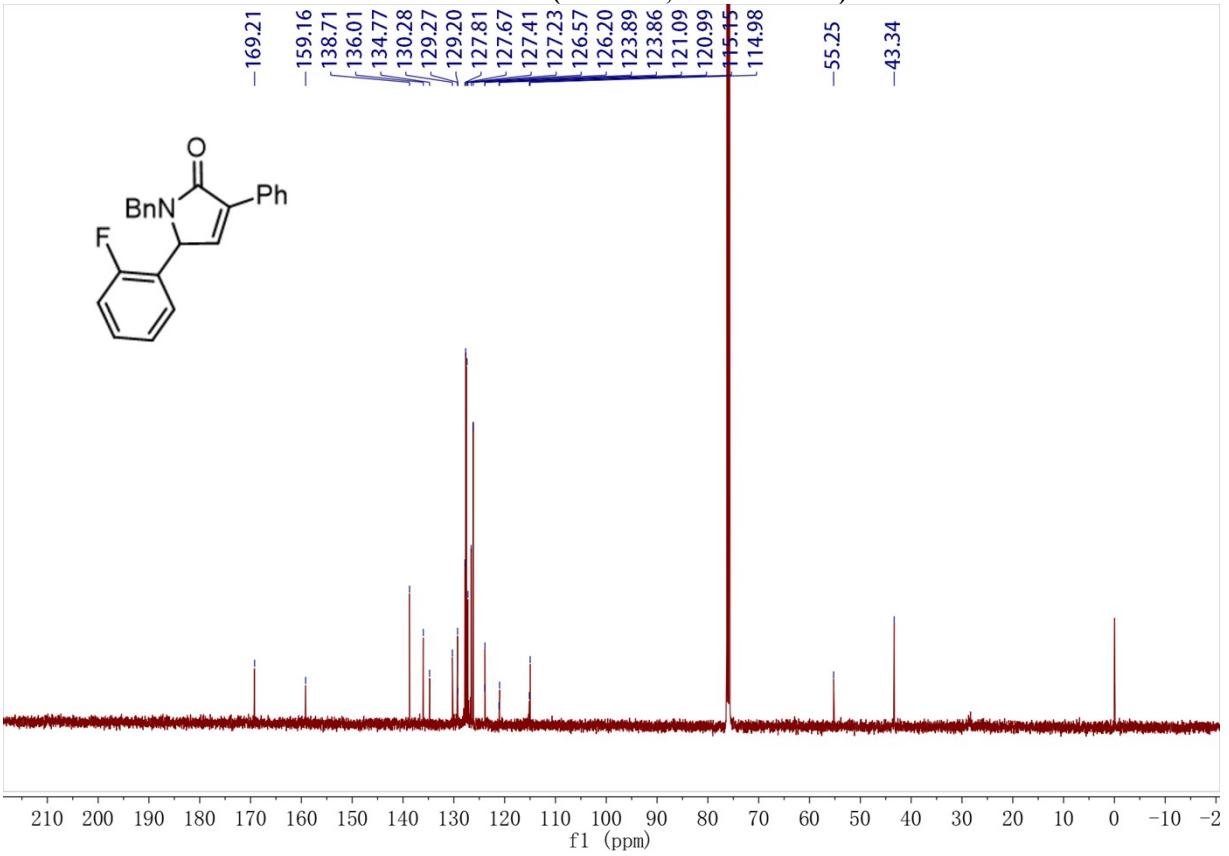




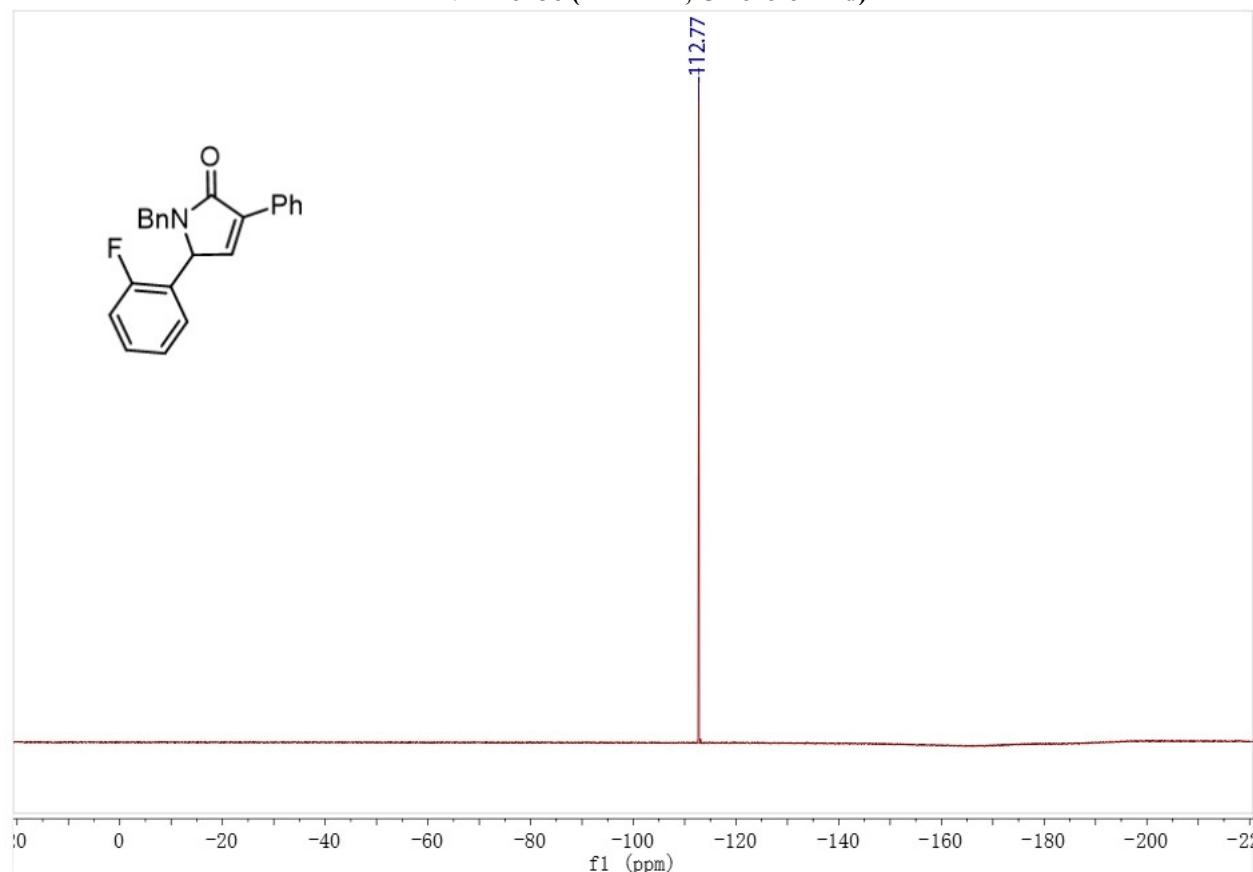
**<sup>1</sup>H NMR of 3o (500 MHz, Chloroform-d)**



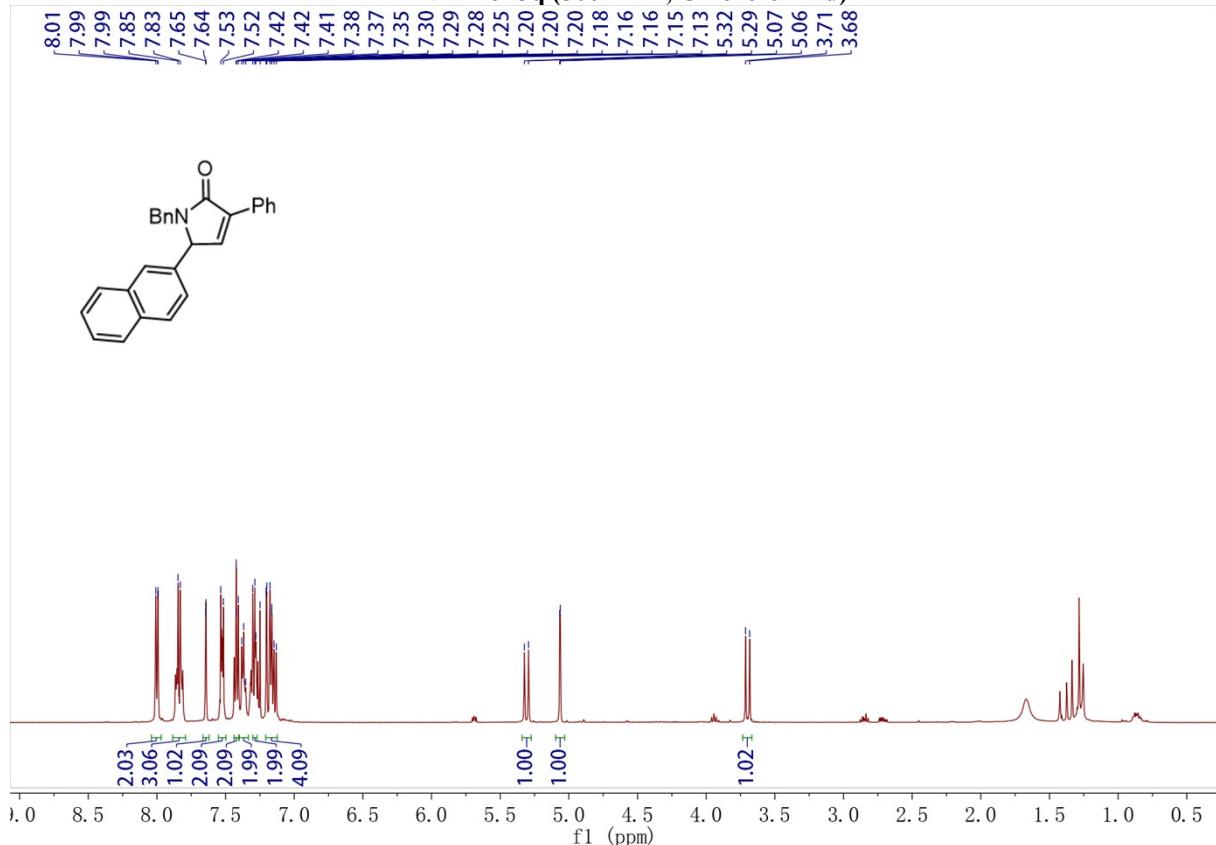
**<sup>13</sup>C NMR of 3o (126 MHz, Chloroform-d)**



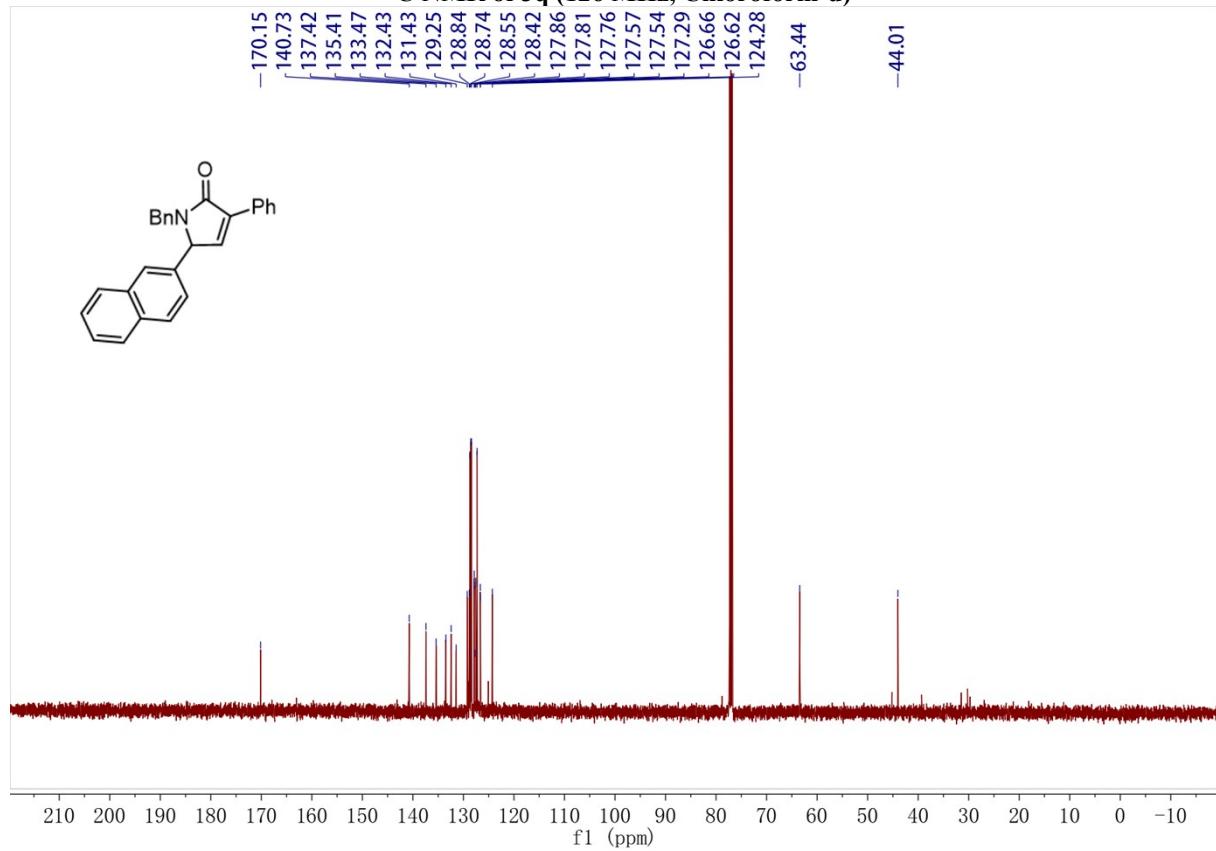
**<sup>19</sup>F NMR of 3o (471 MHz, Chloroform-d)**



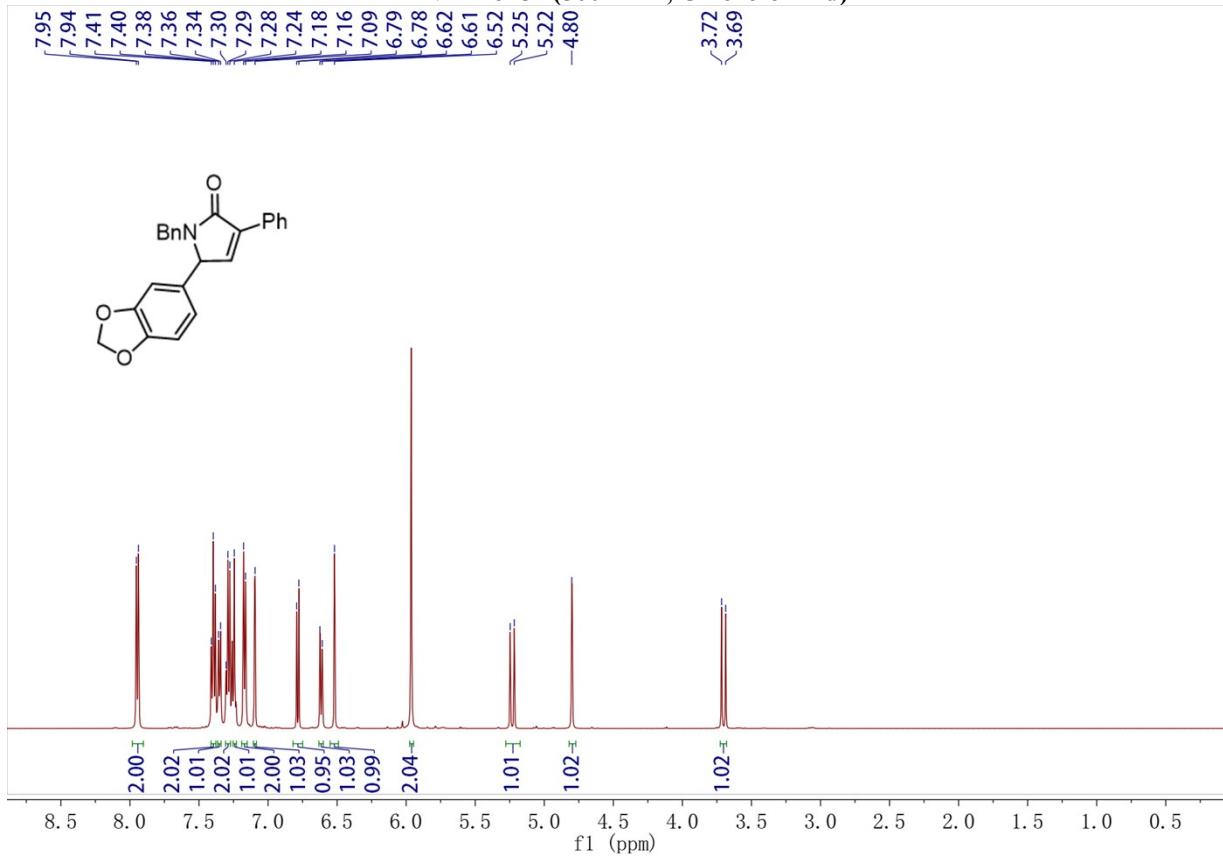
**<sup>1</sup>H NMR of 3q (500 MHz, Chloroform-d)**



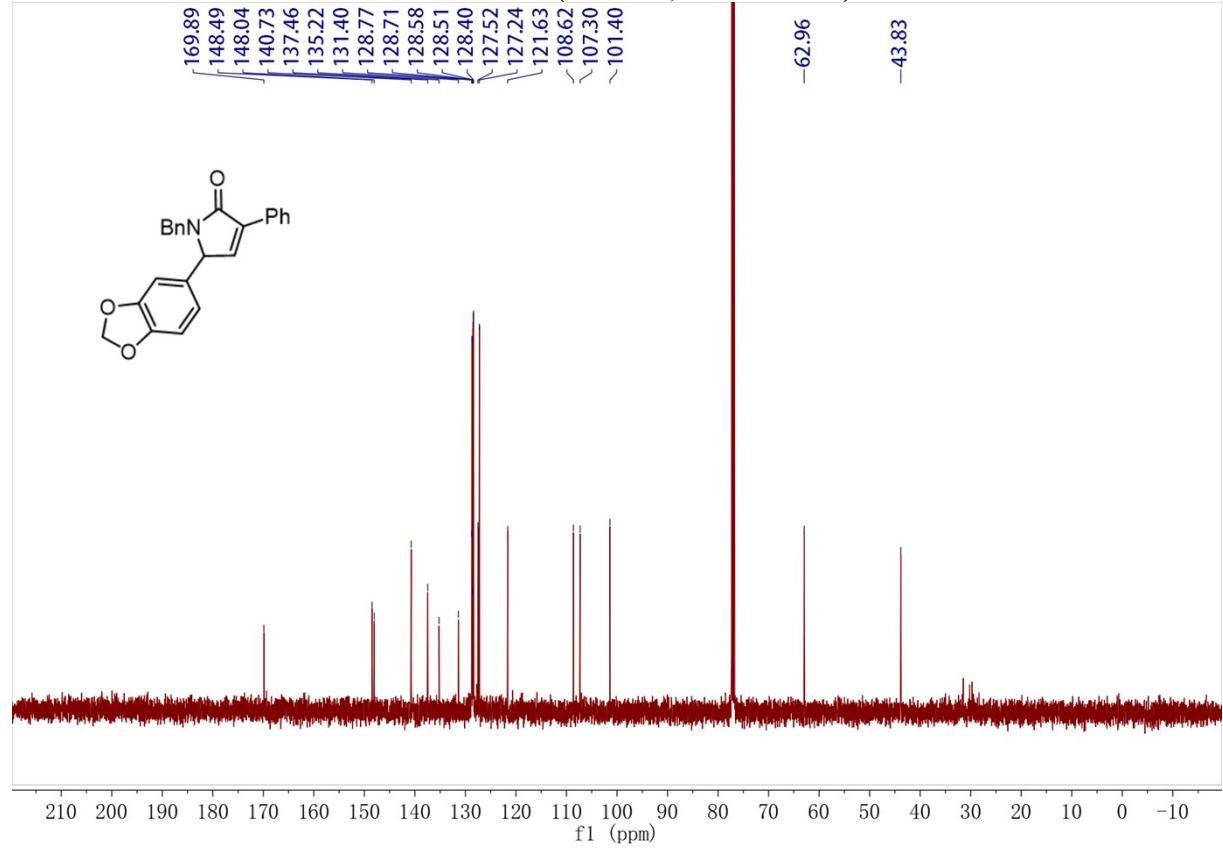
**<sup>13</sup>C NMR of 3q (126 MHz, Chloroform-d)**



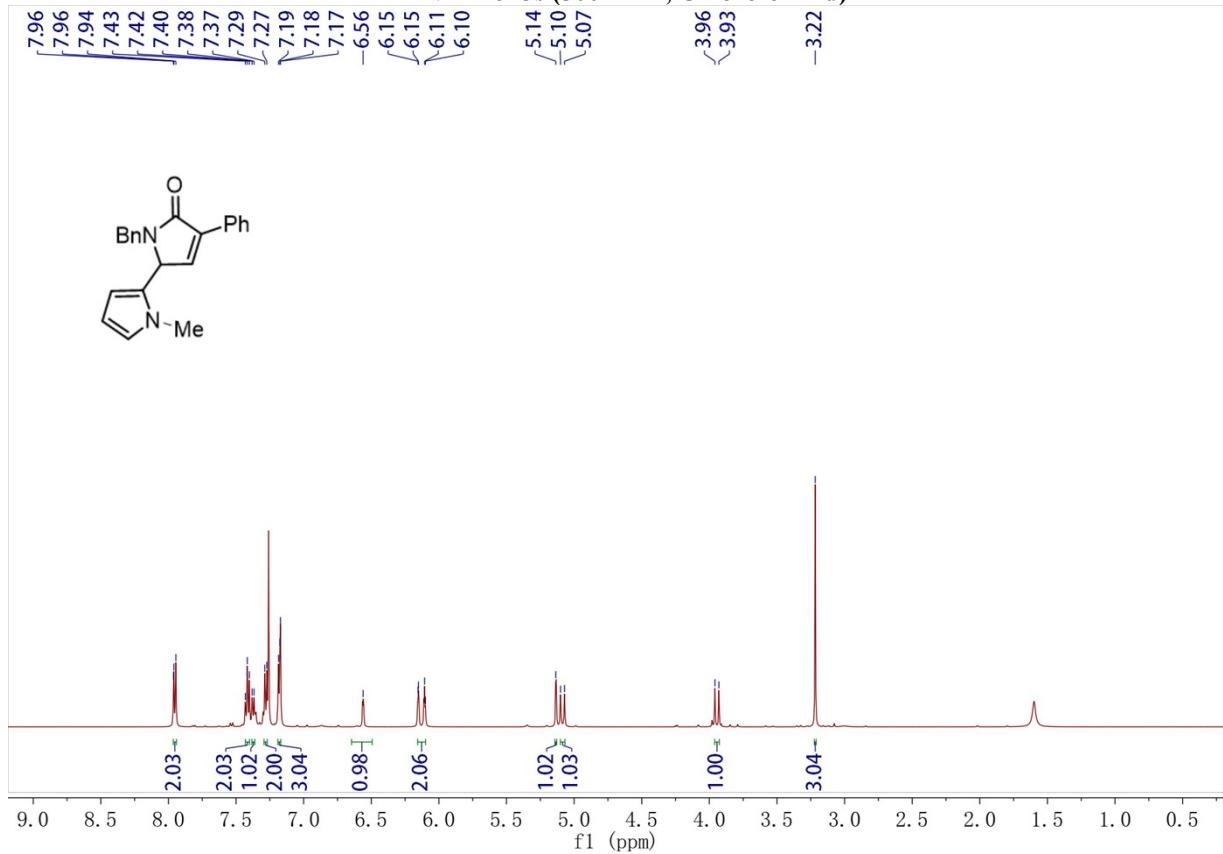
**<sup>1</sup>H NMR of 3r (500 MHz, Chloroform-d)**



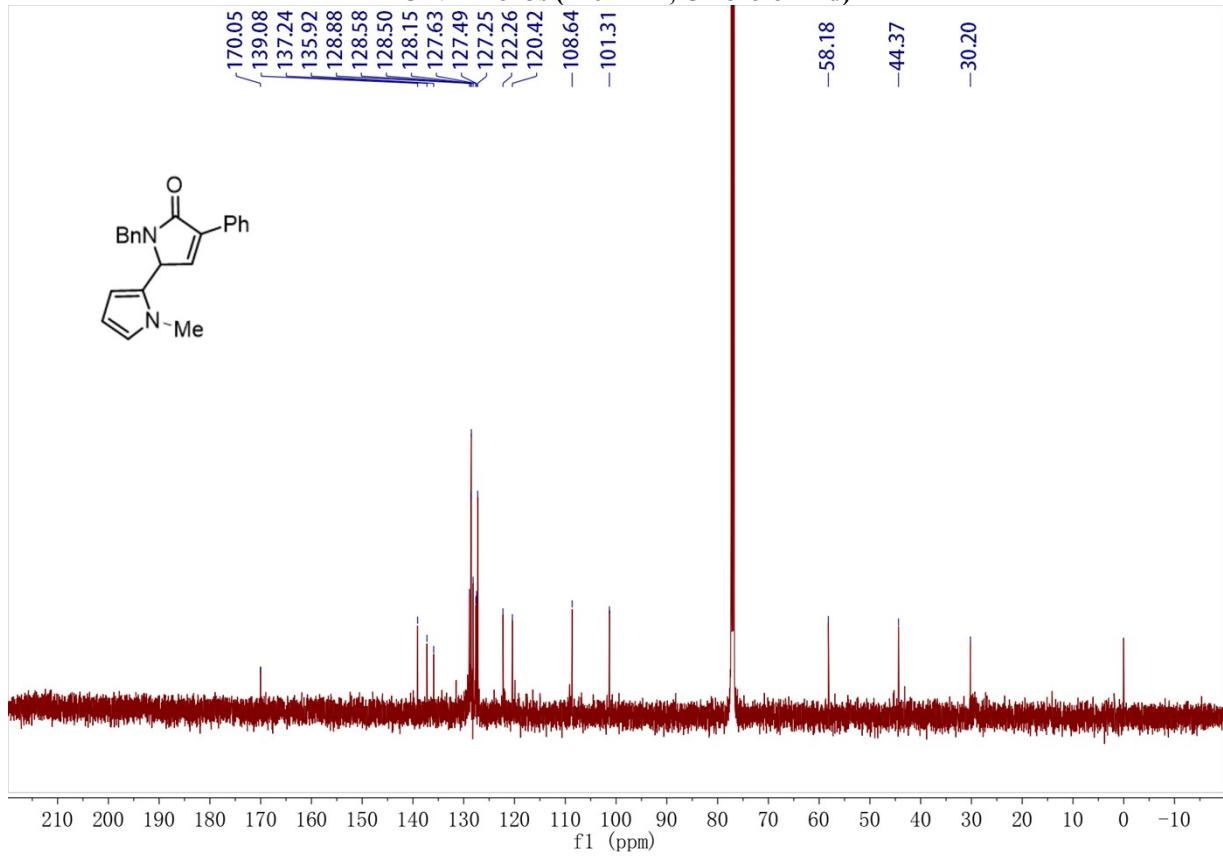
**<sup>13</sup>C NMR of 3r (126 MHz, Chloroform-d)**



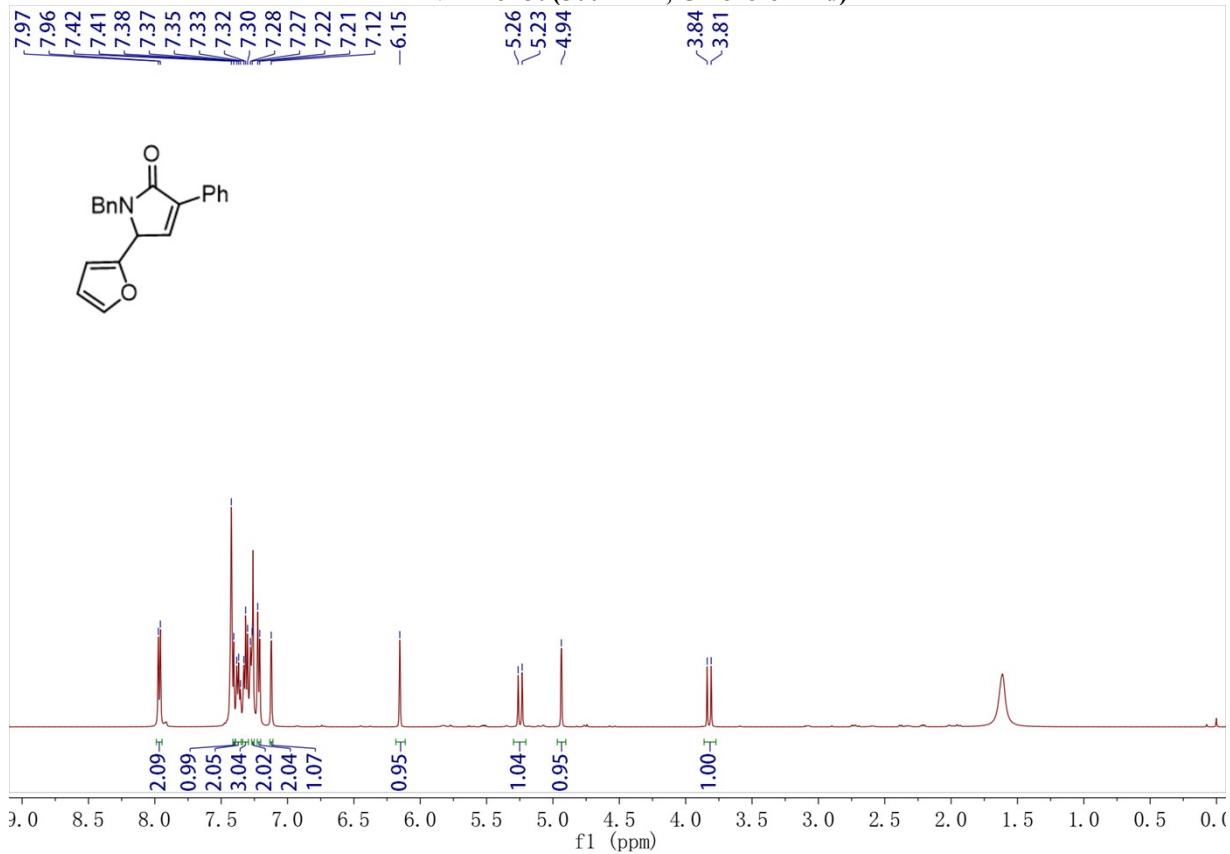
**<sup>1</sup>H NMR of 3s (500 MHz, Chloroform-d)**



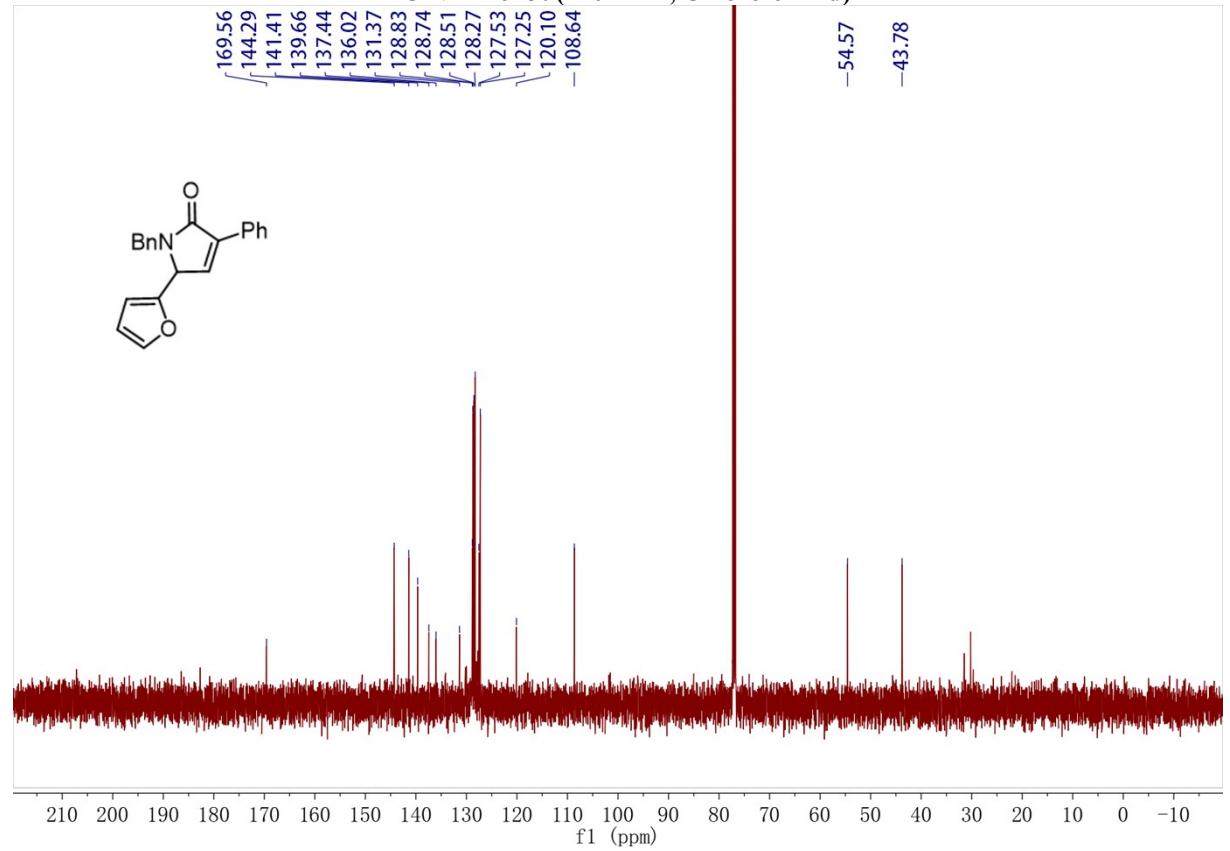
**<sup>13</sup>C NMR of 3s (126 MHz, Chloroform-d)**



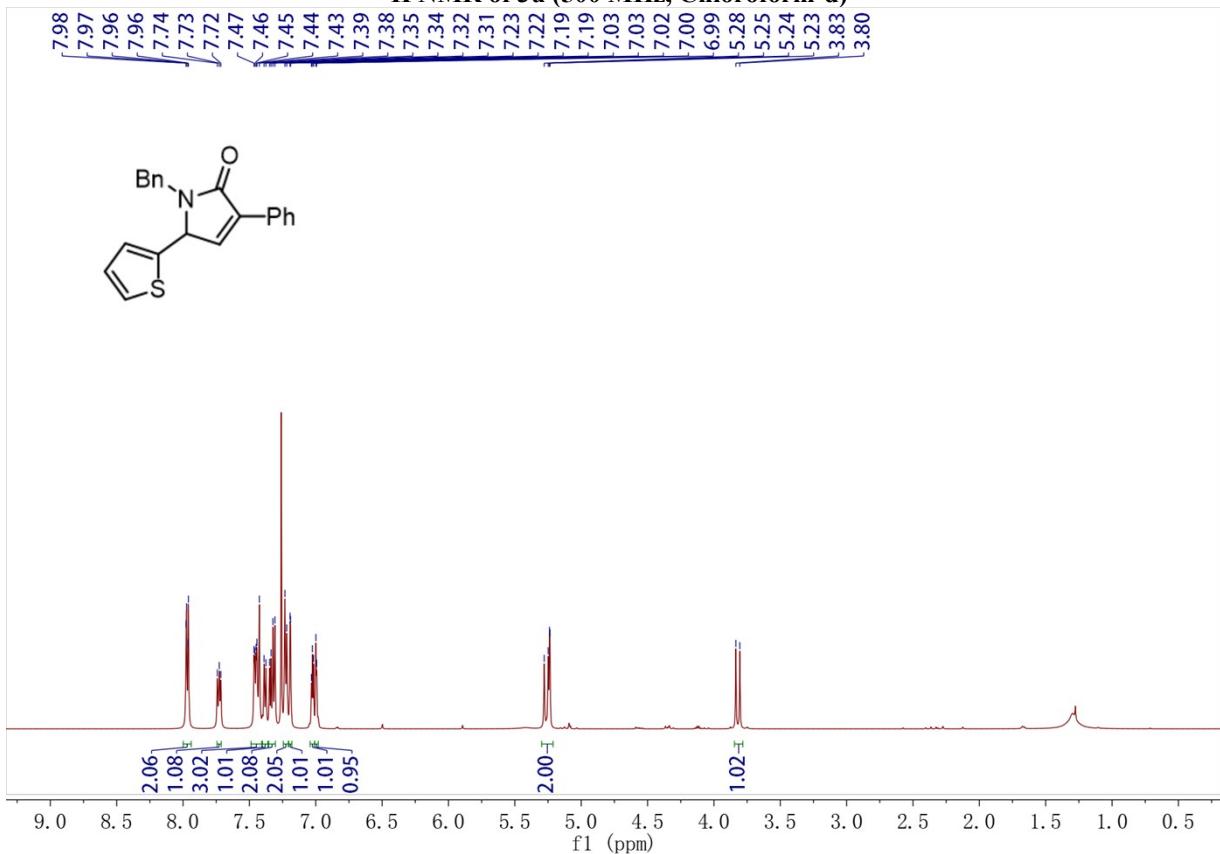
**<sup>1</sup>H NMR of 3t (500 MHz, Chloroform-d)**



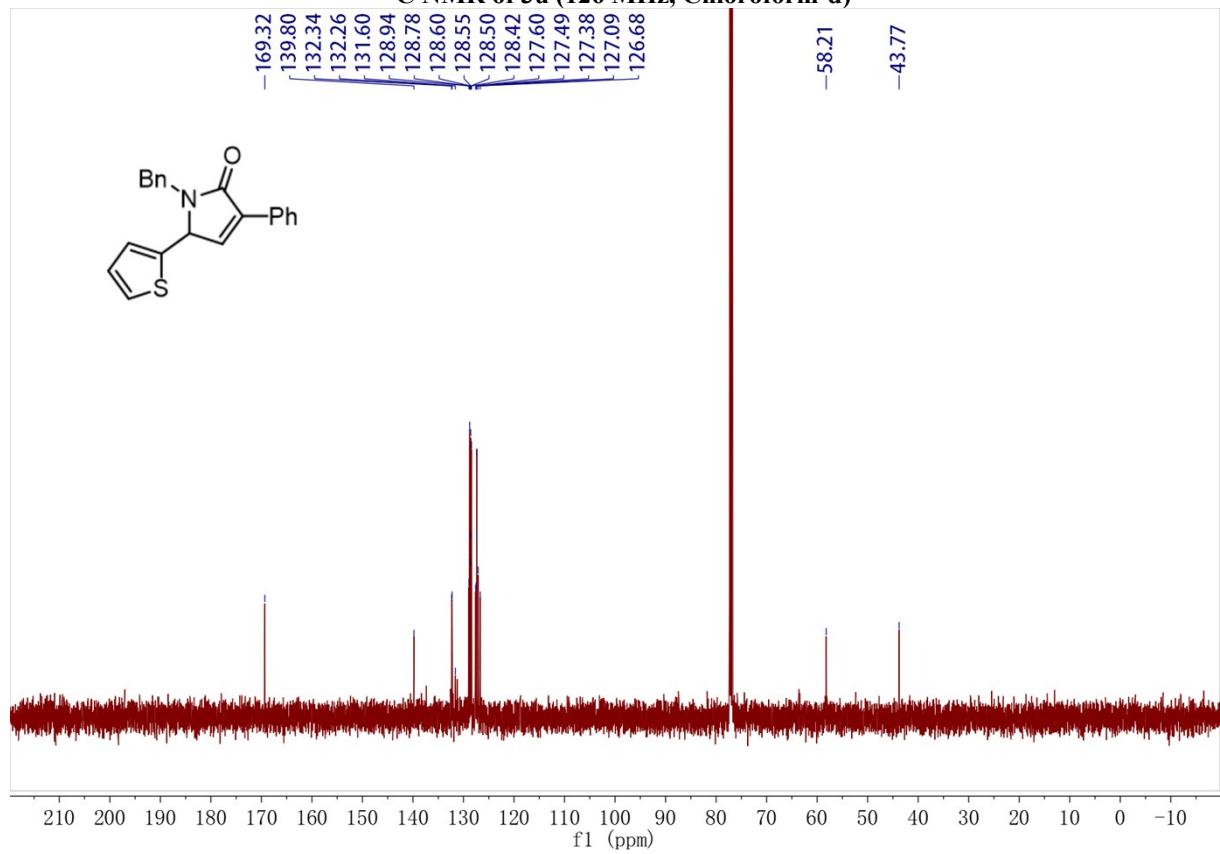
**<sup>13</sup>C NMR of 3t (126 MHz, Chloroform-d)**

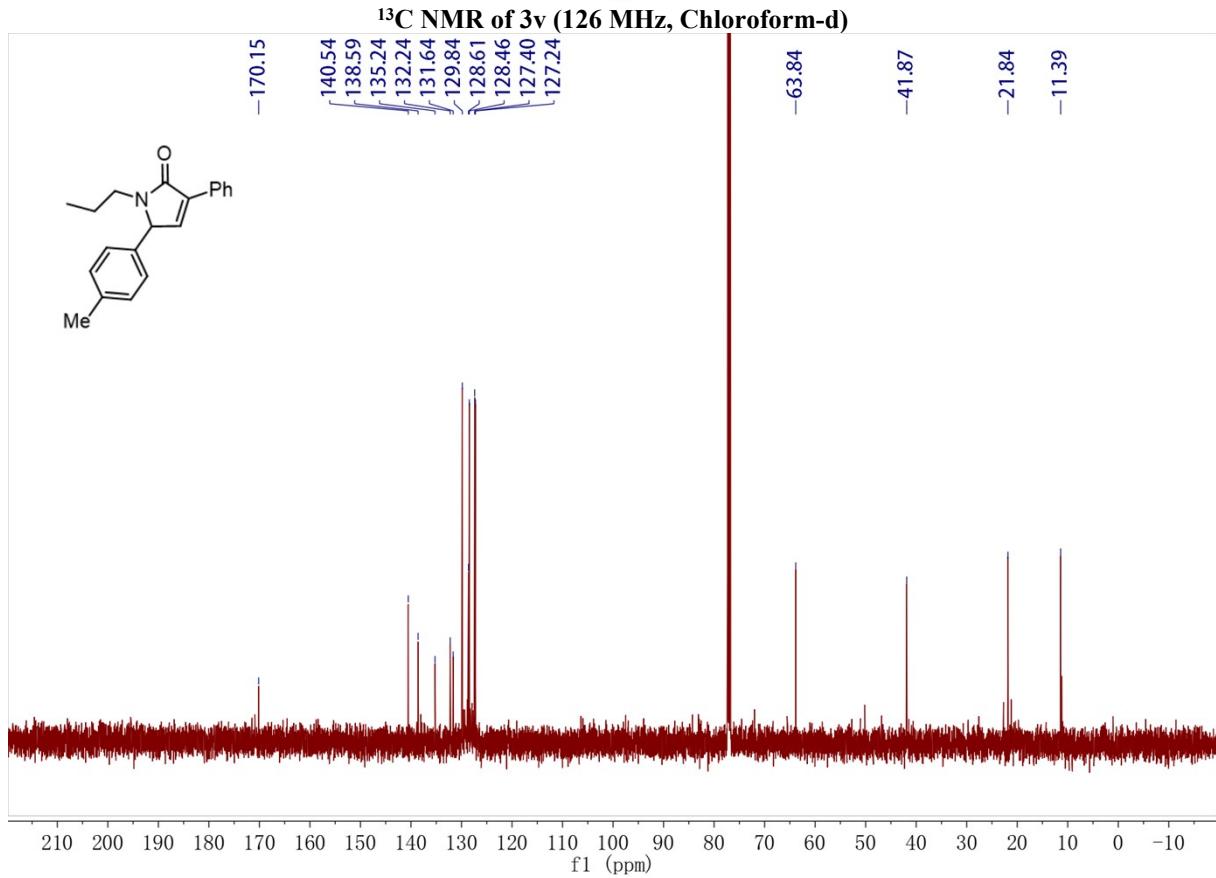
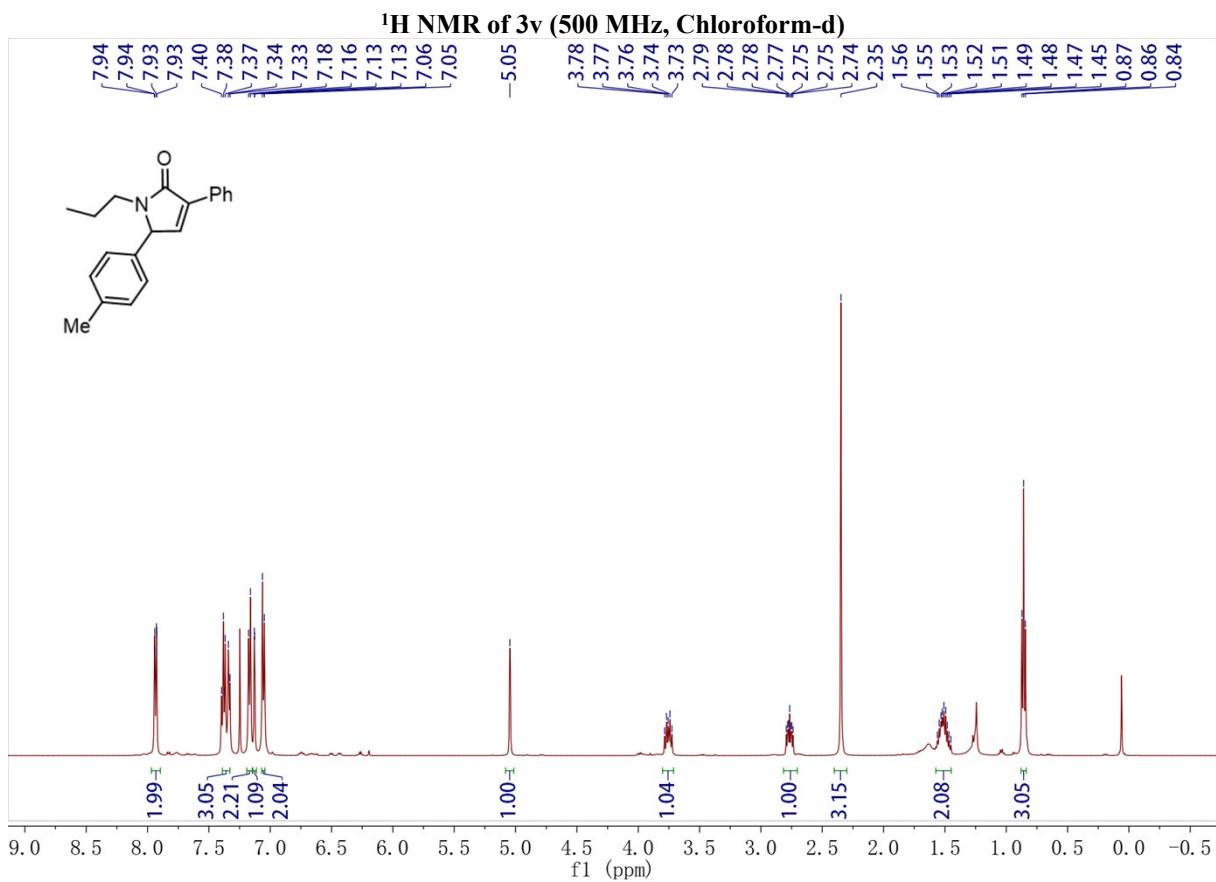


**<sup>1</sup>H NMR of 3u (500 MHz, Chloroform-d)**

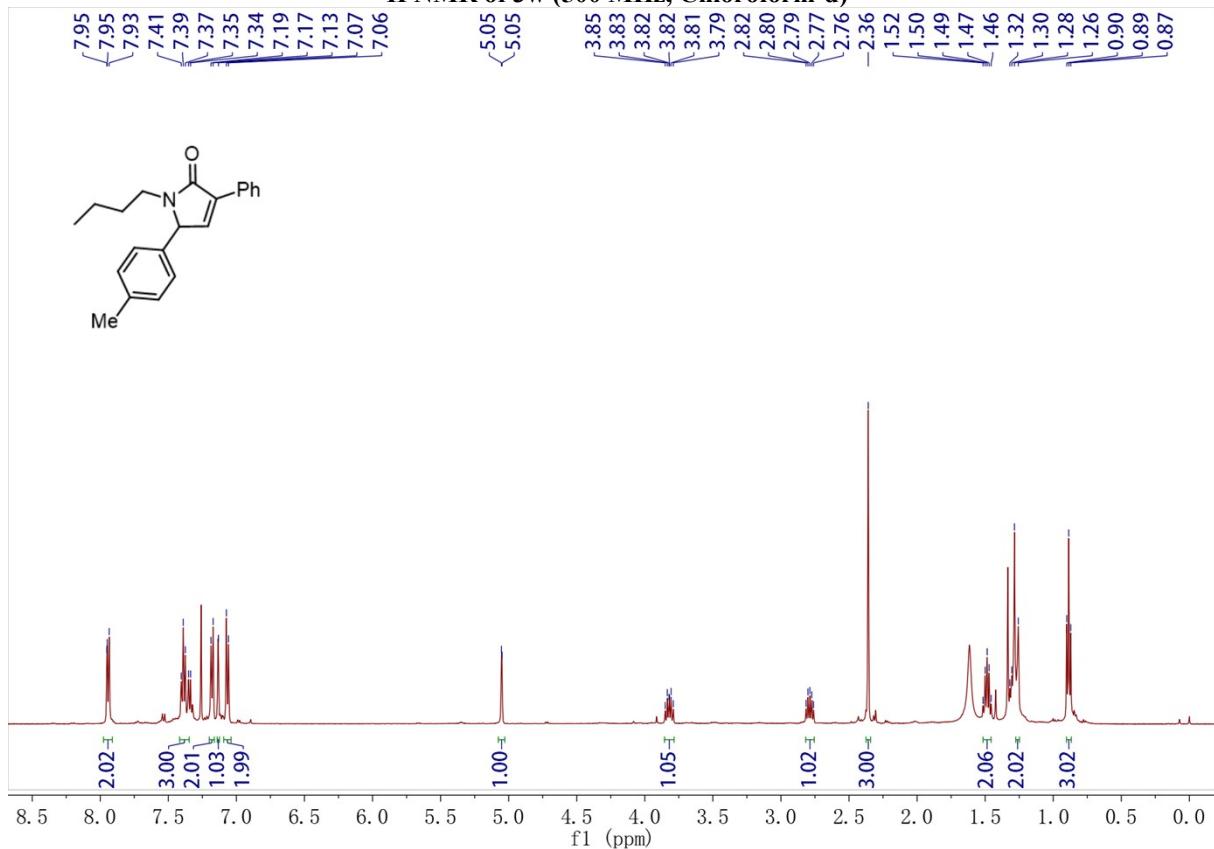


**<sup>13</sup>C NMR of 3u (126 MHz, Chloroform-d)**

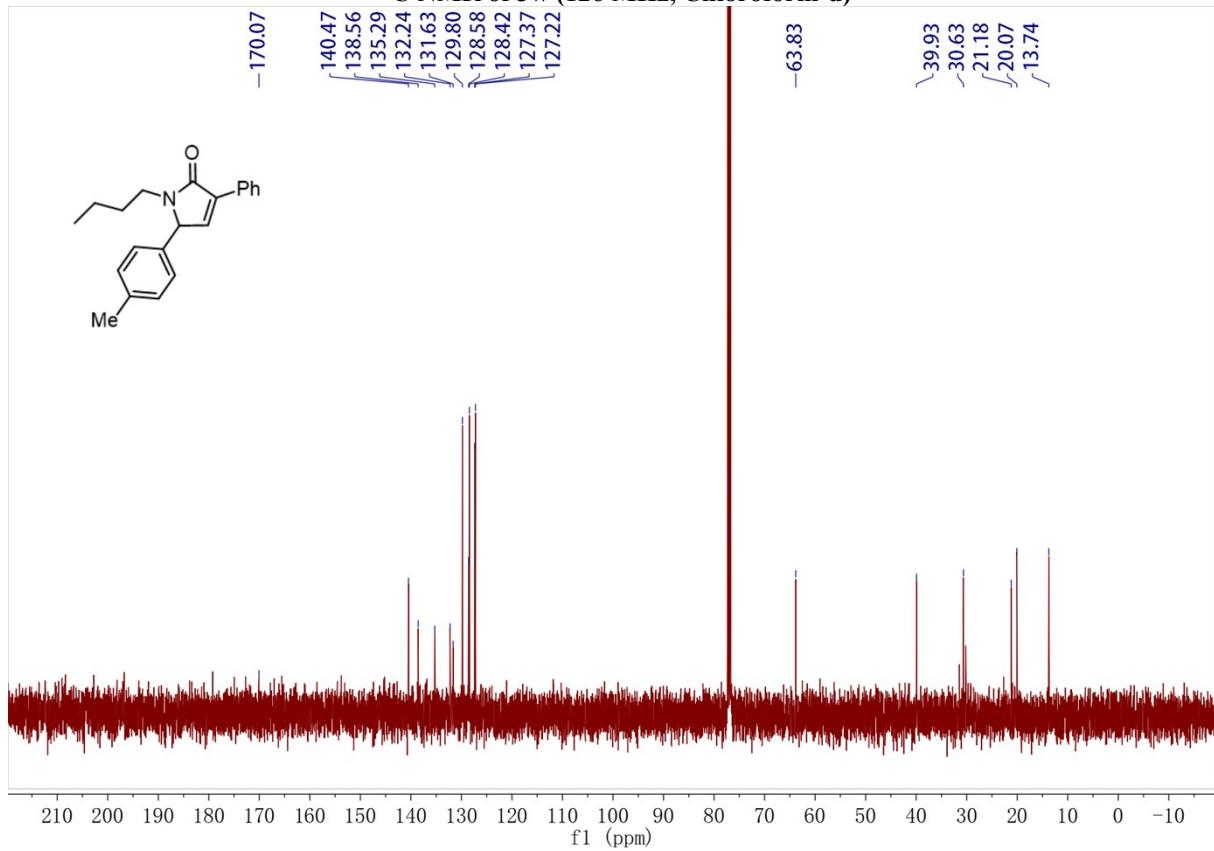




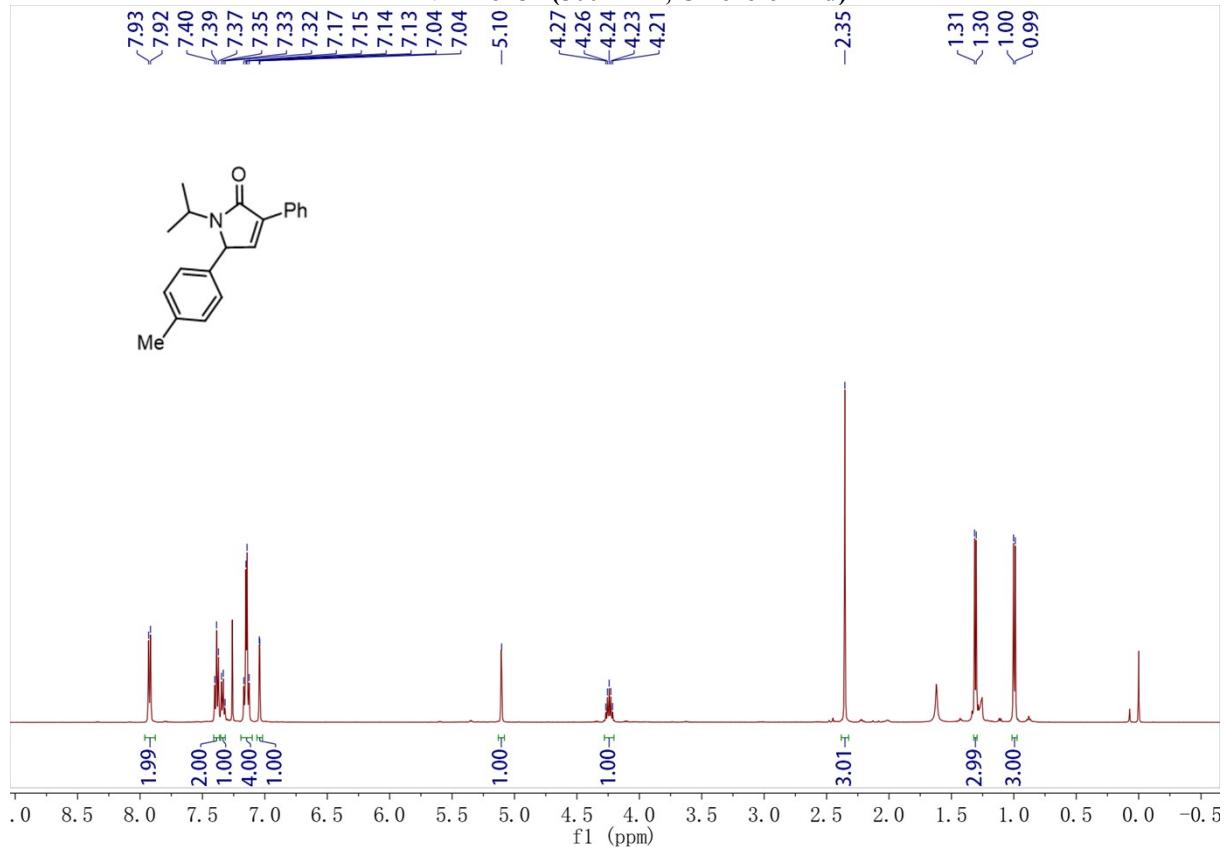
**<sup>1</sup>H NMR of 3w (500 MHz, Chloroform-d)**



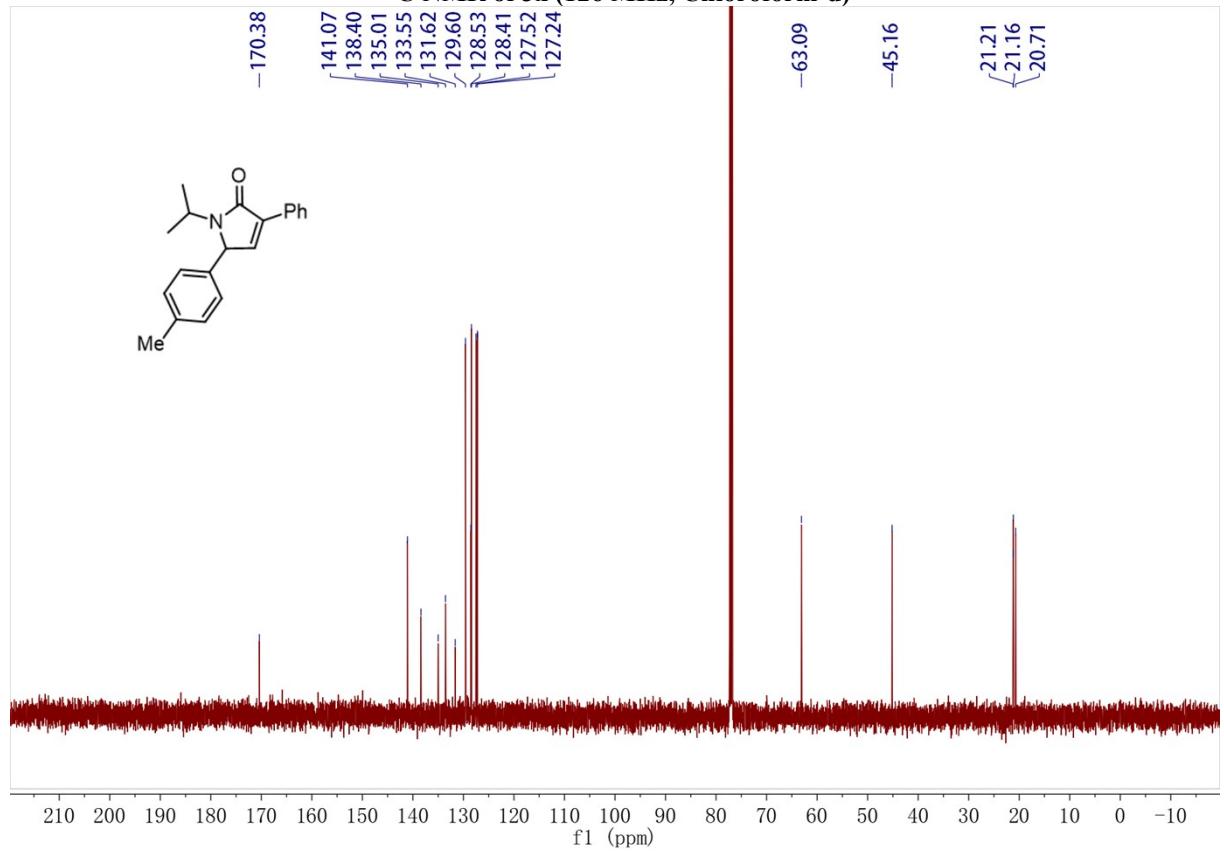
**<sup>13</sup>C NMR of 3w (126 MHz, Chloroform-d)**

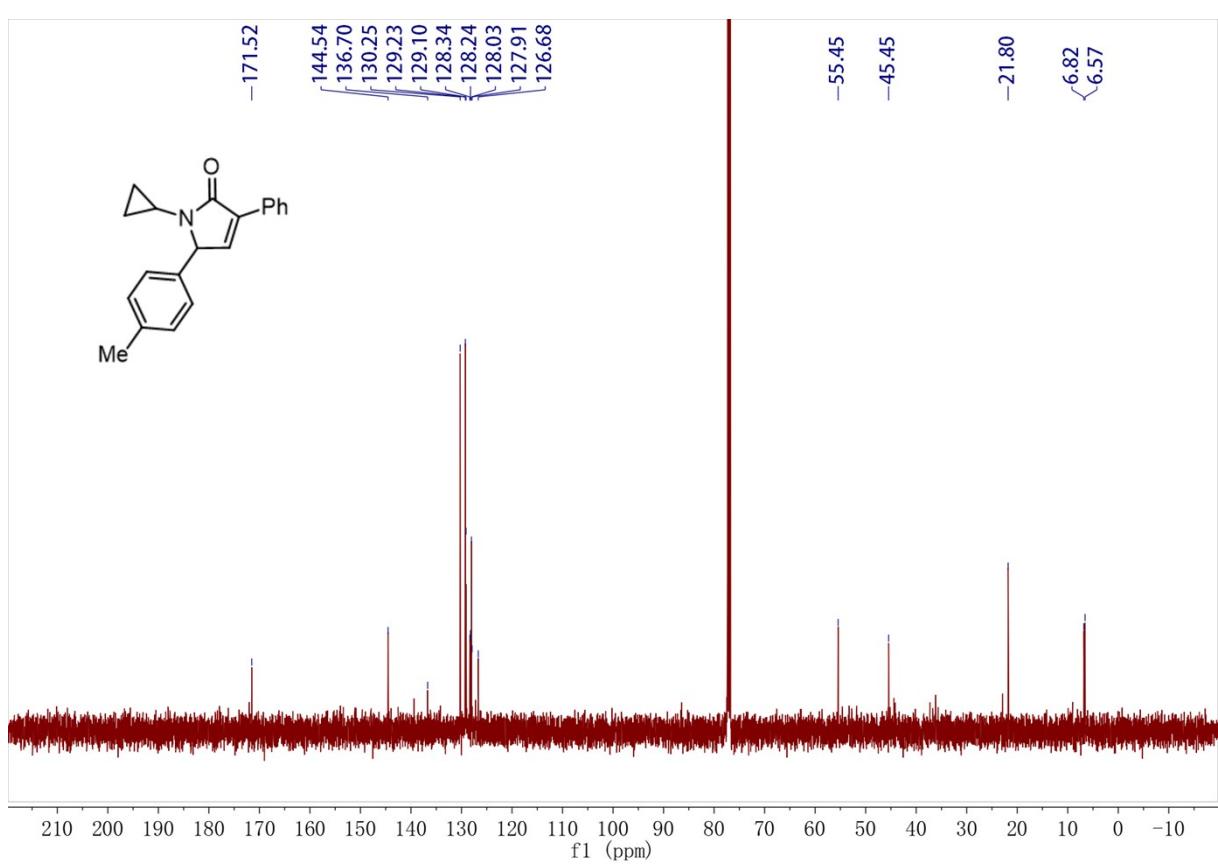
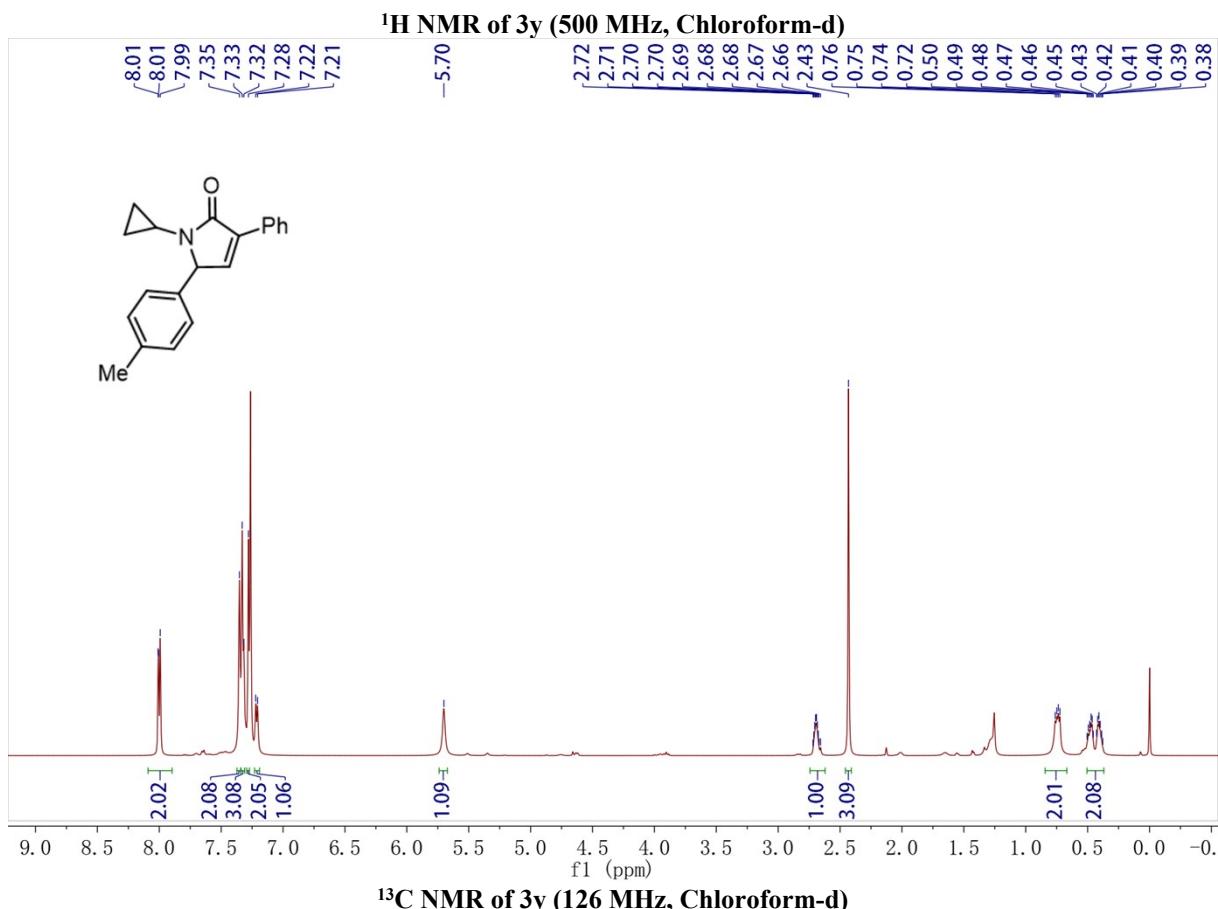


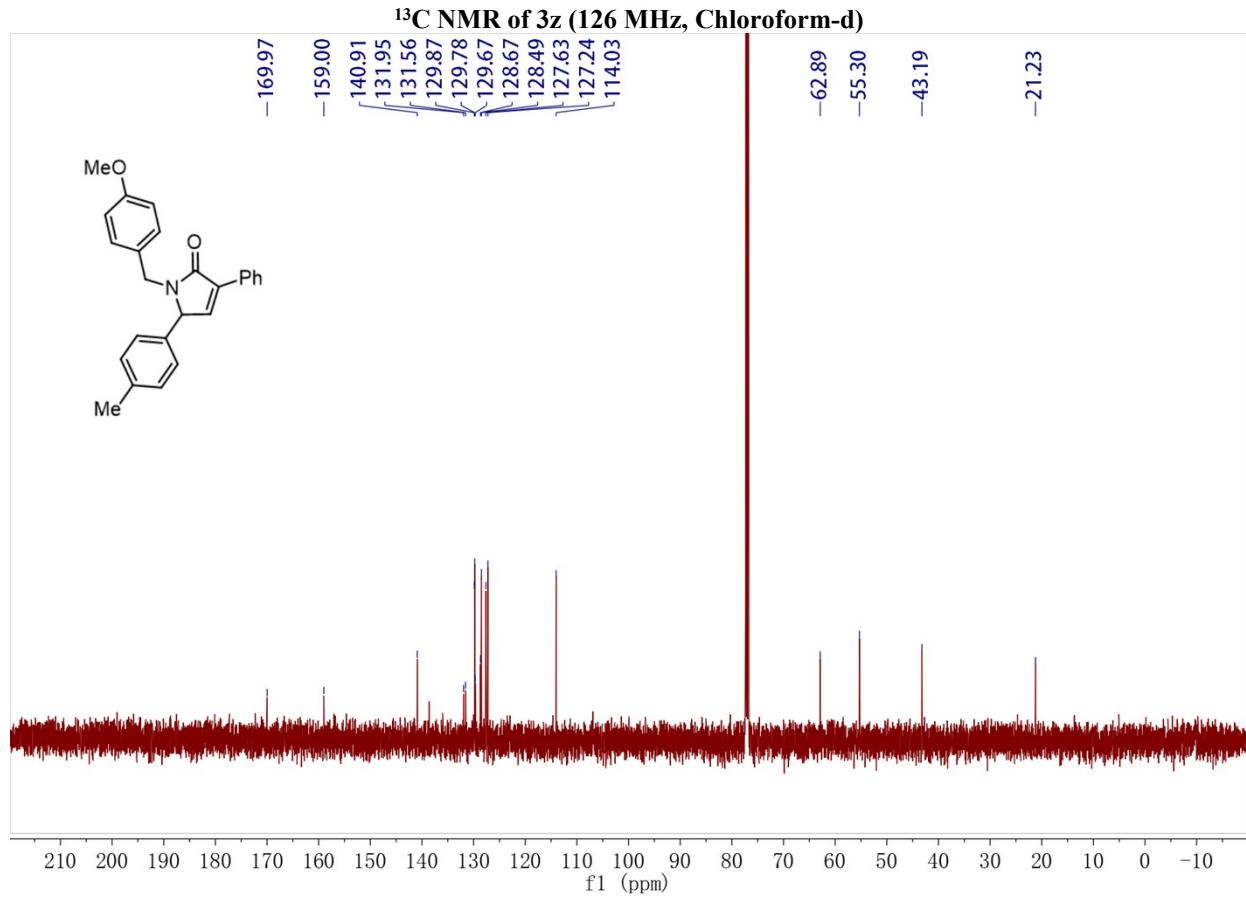
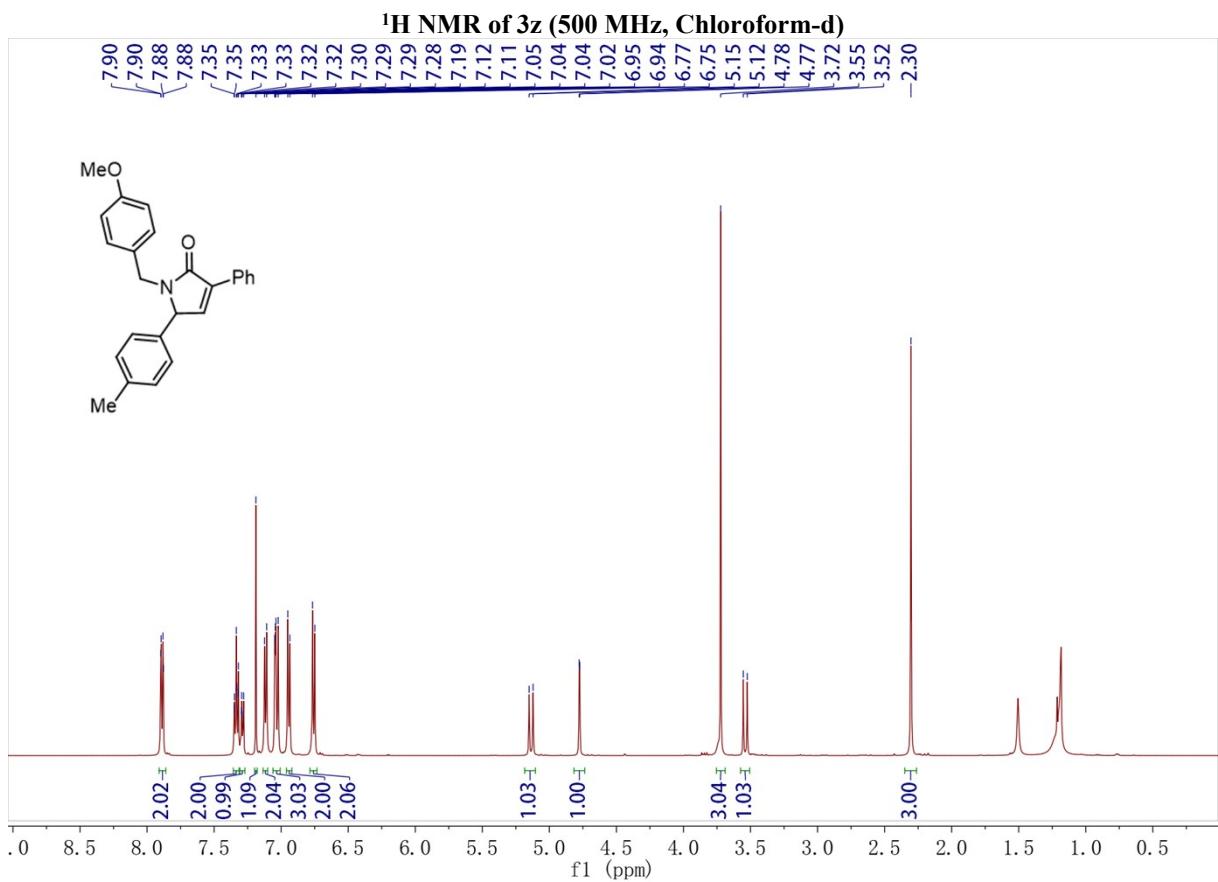
**<sup>1</sup>H NMR of 3x (500 MHz, Chloroform-d)**



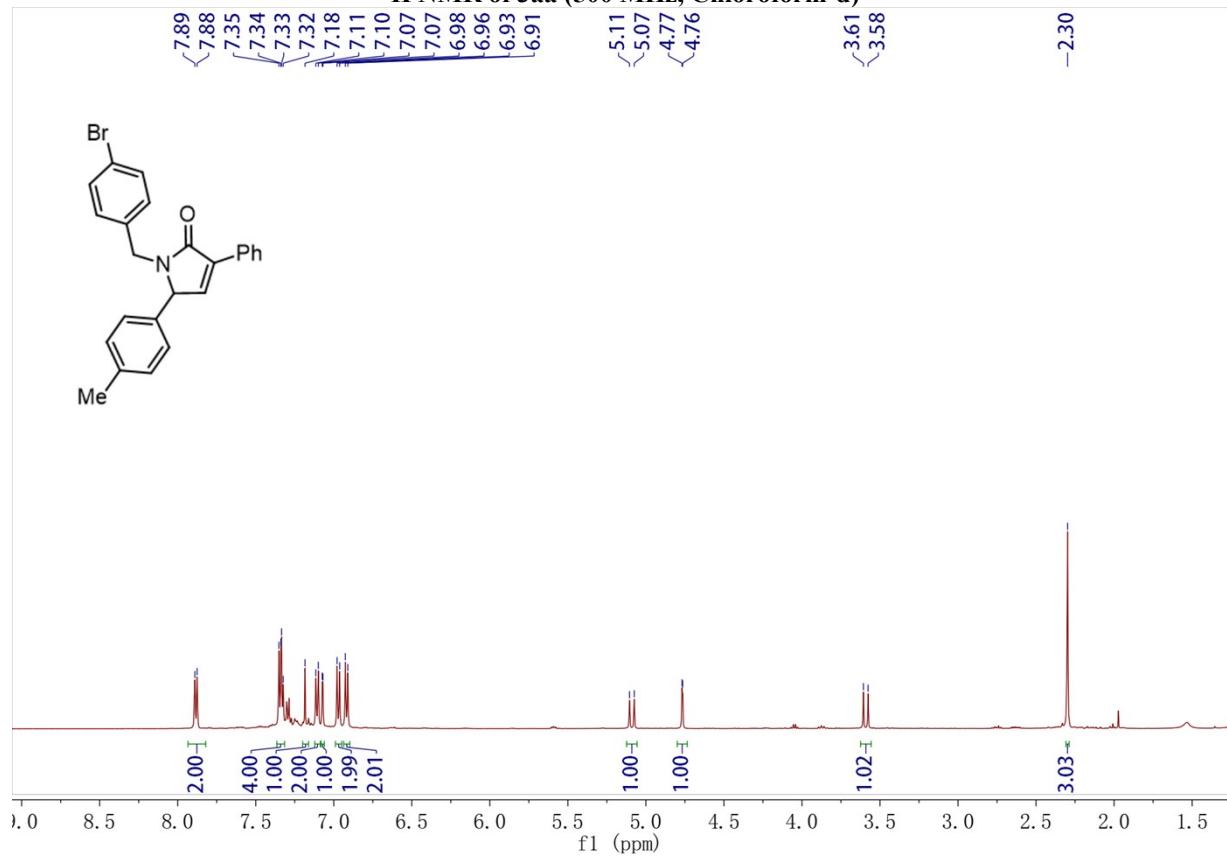
**<sup>13</sup>C NMR of 3x (126 MHz, Chloroform-d)**



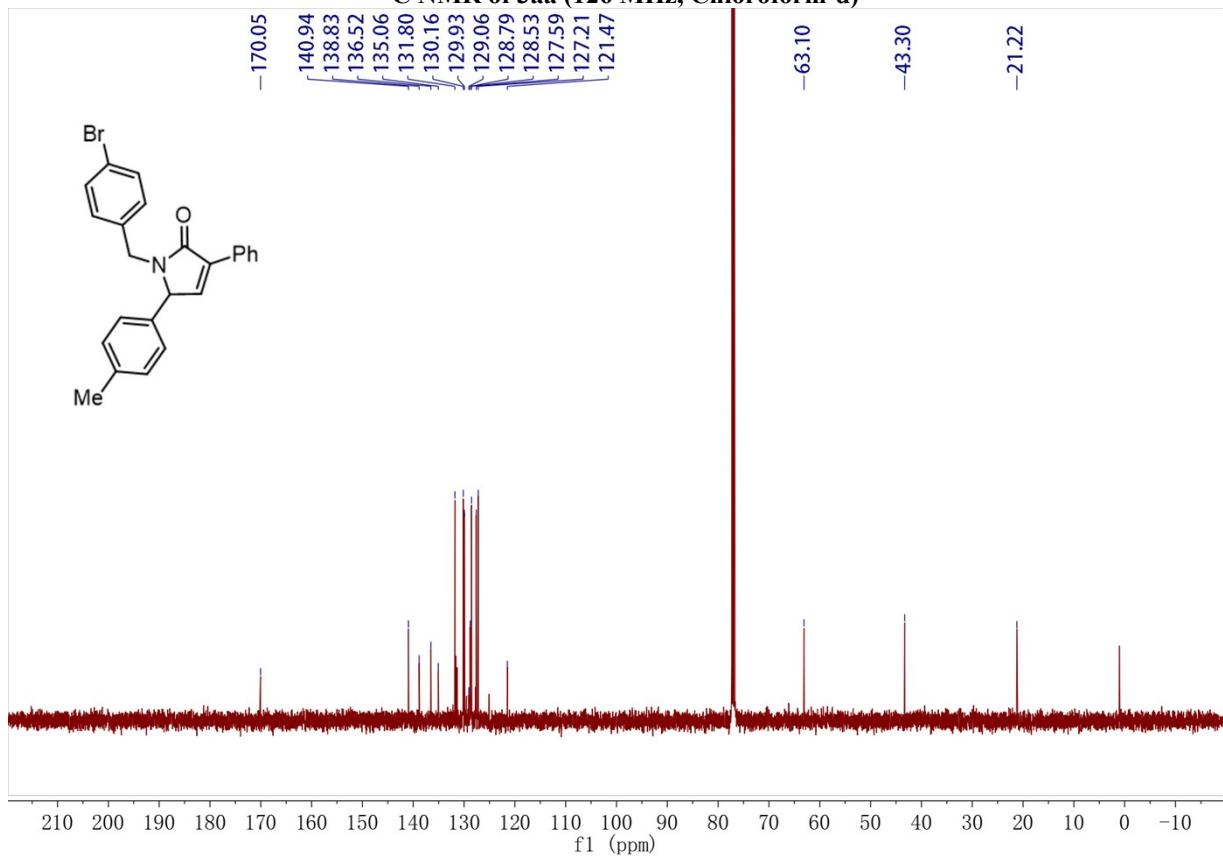




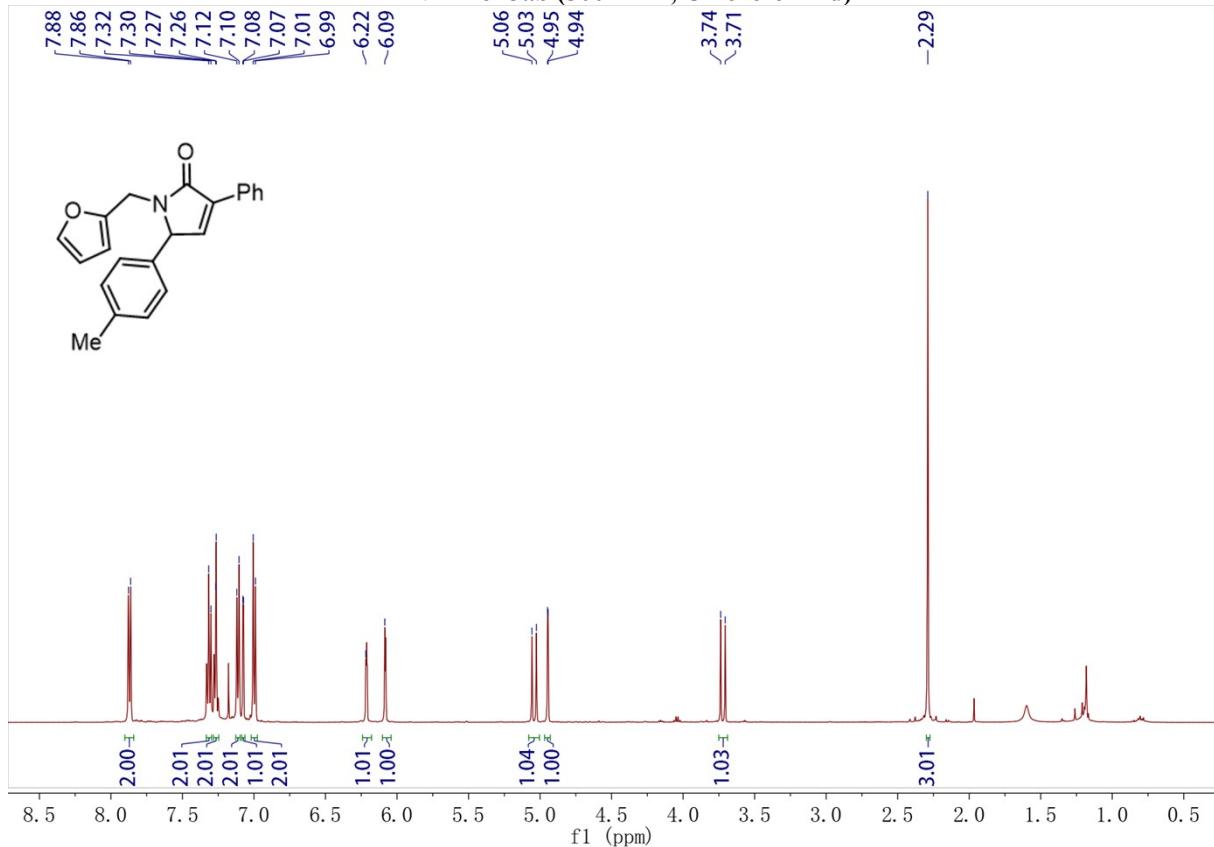
<sup>1</sup>H NMR of 3aa (500 MHz, Chloroform-d)



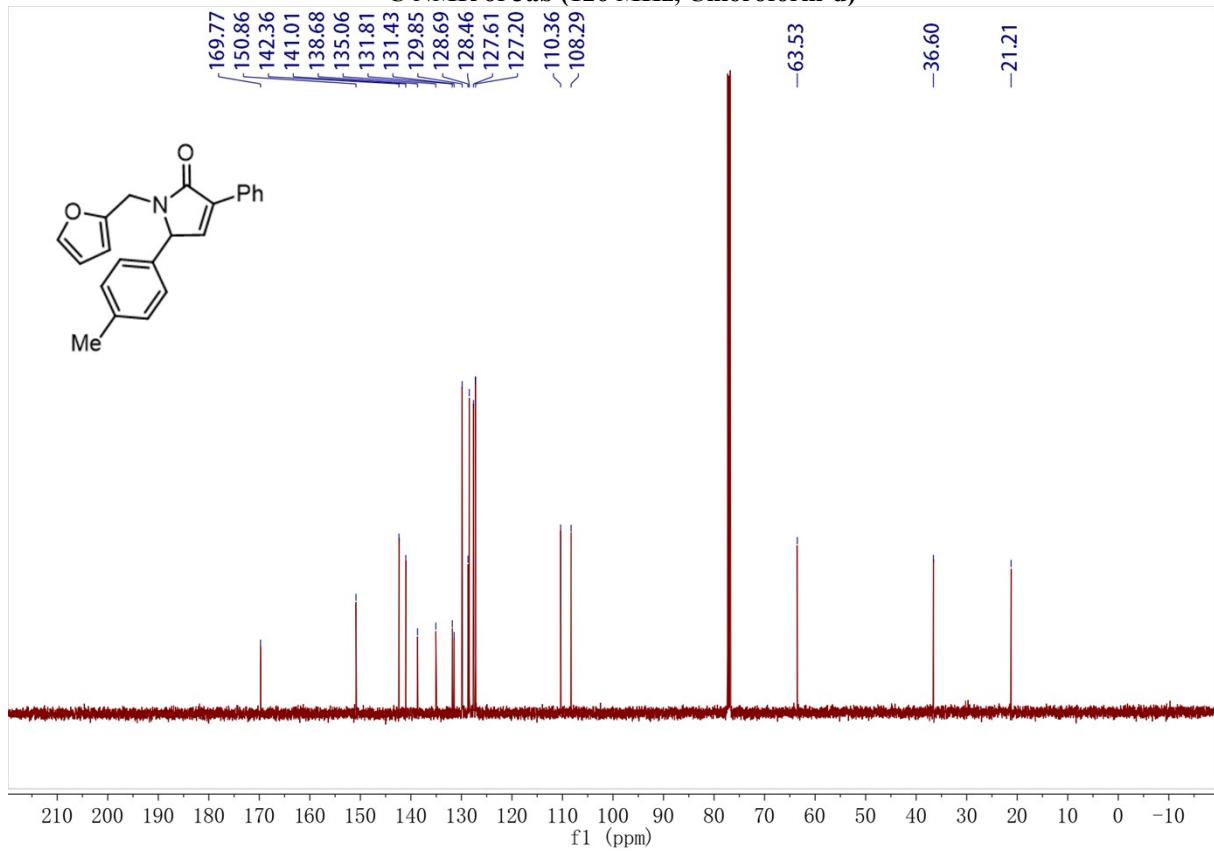
<sup>13</sup>C NMR of 3aa (126 MHz, Chloroform-d)

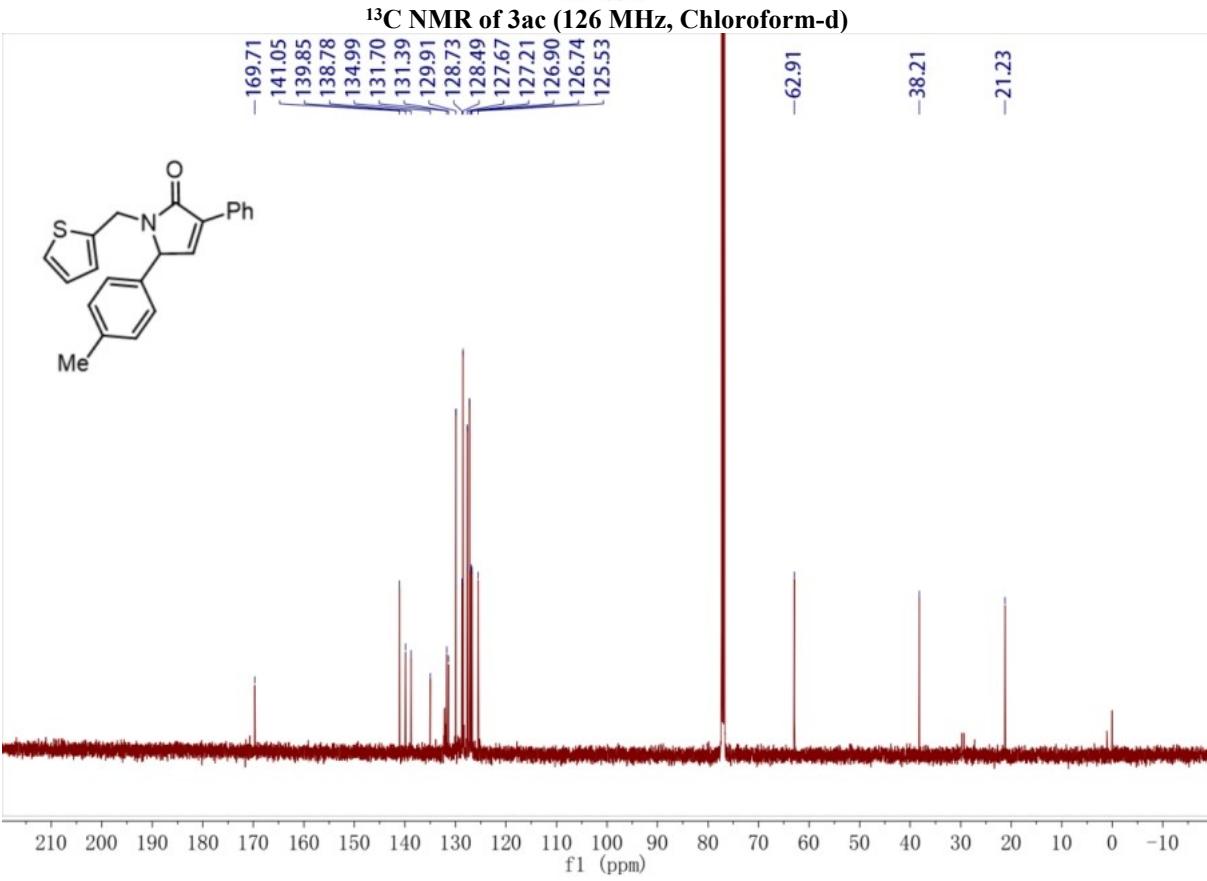
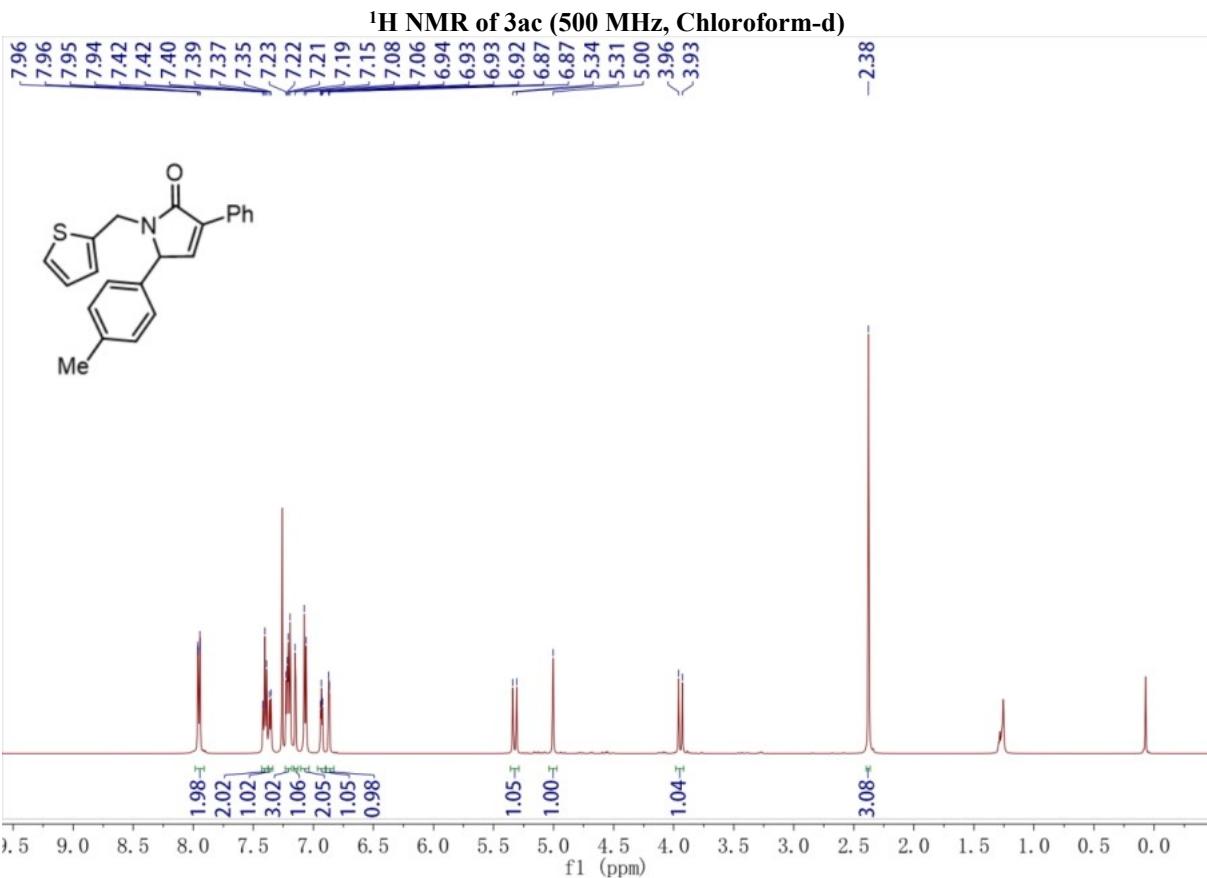


**<sup>1</sup>H NMR of 3ab (500 MHz, Chloroform-d)**

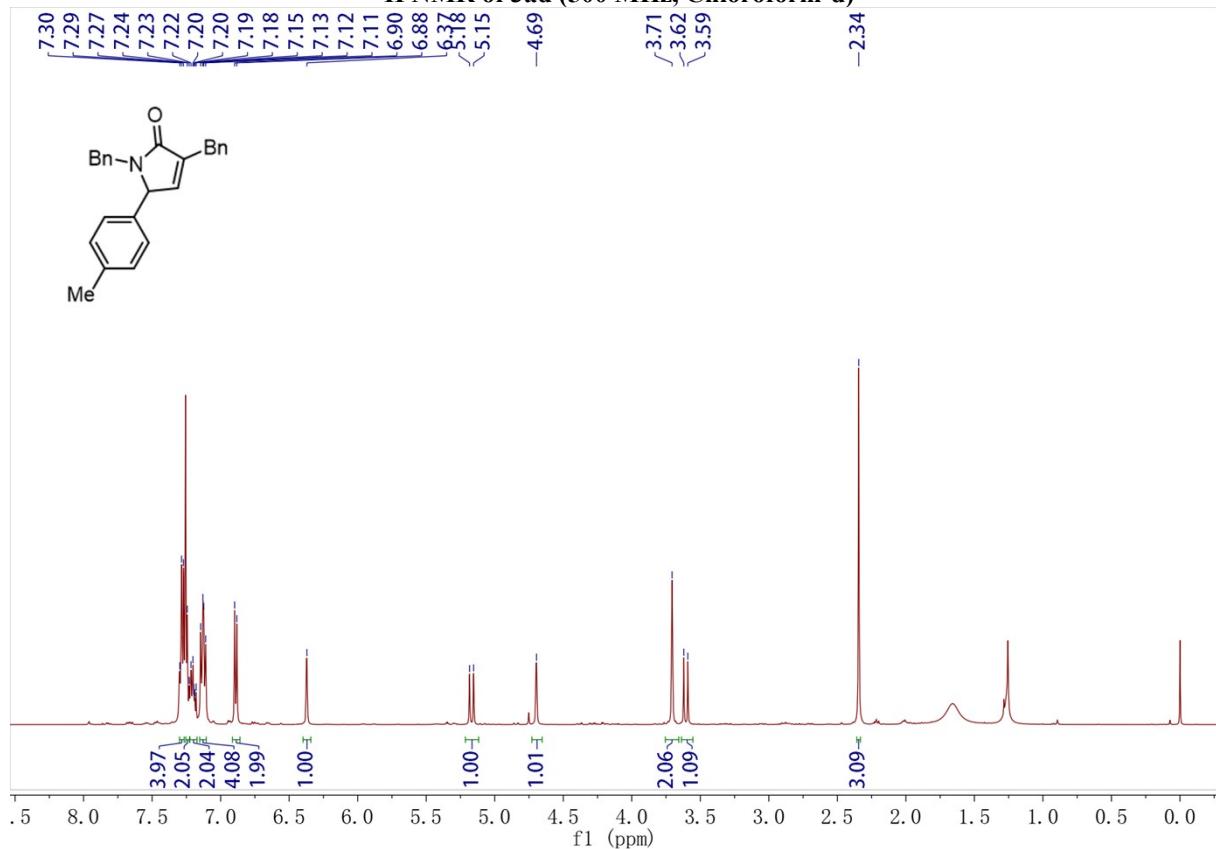


**<sup>13</sup>C NMR of 3ab (126 MHz, Chloroform-d)**

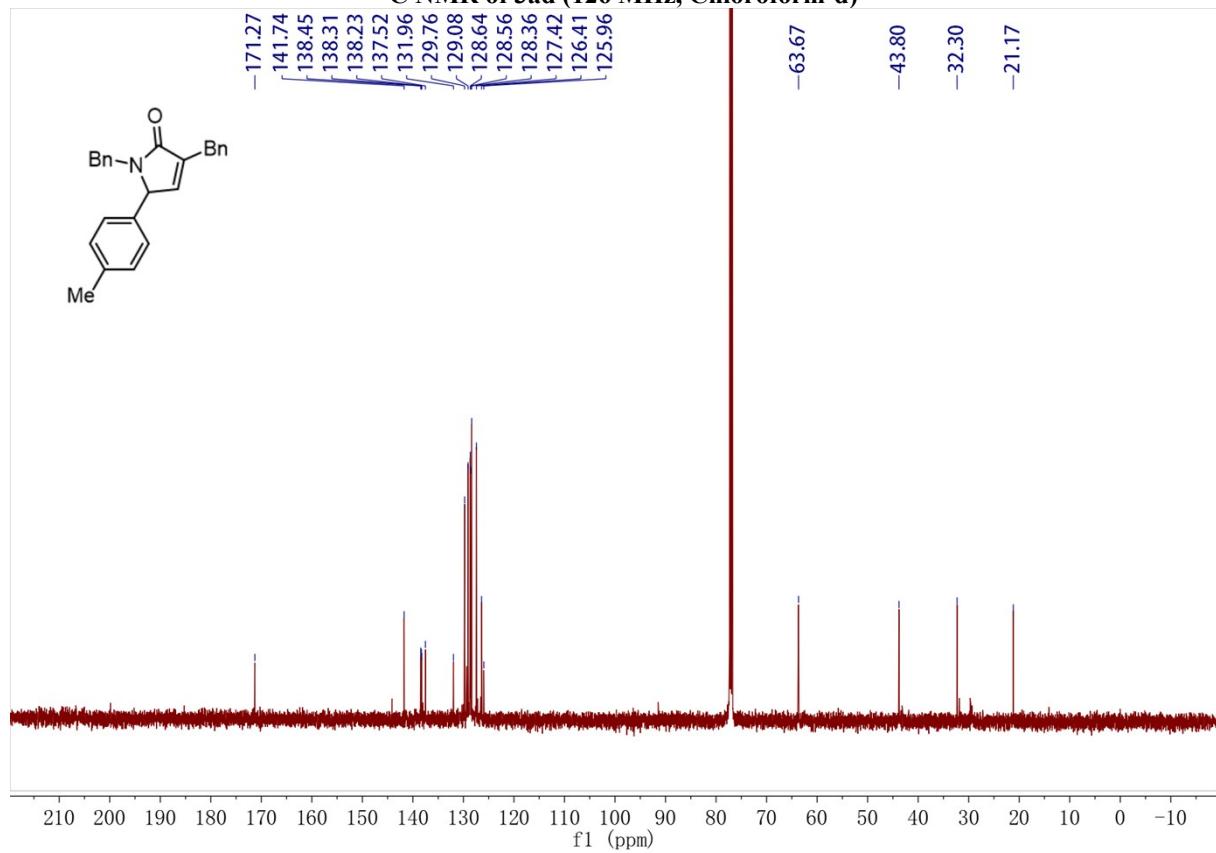




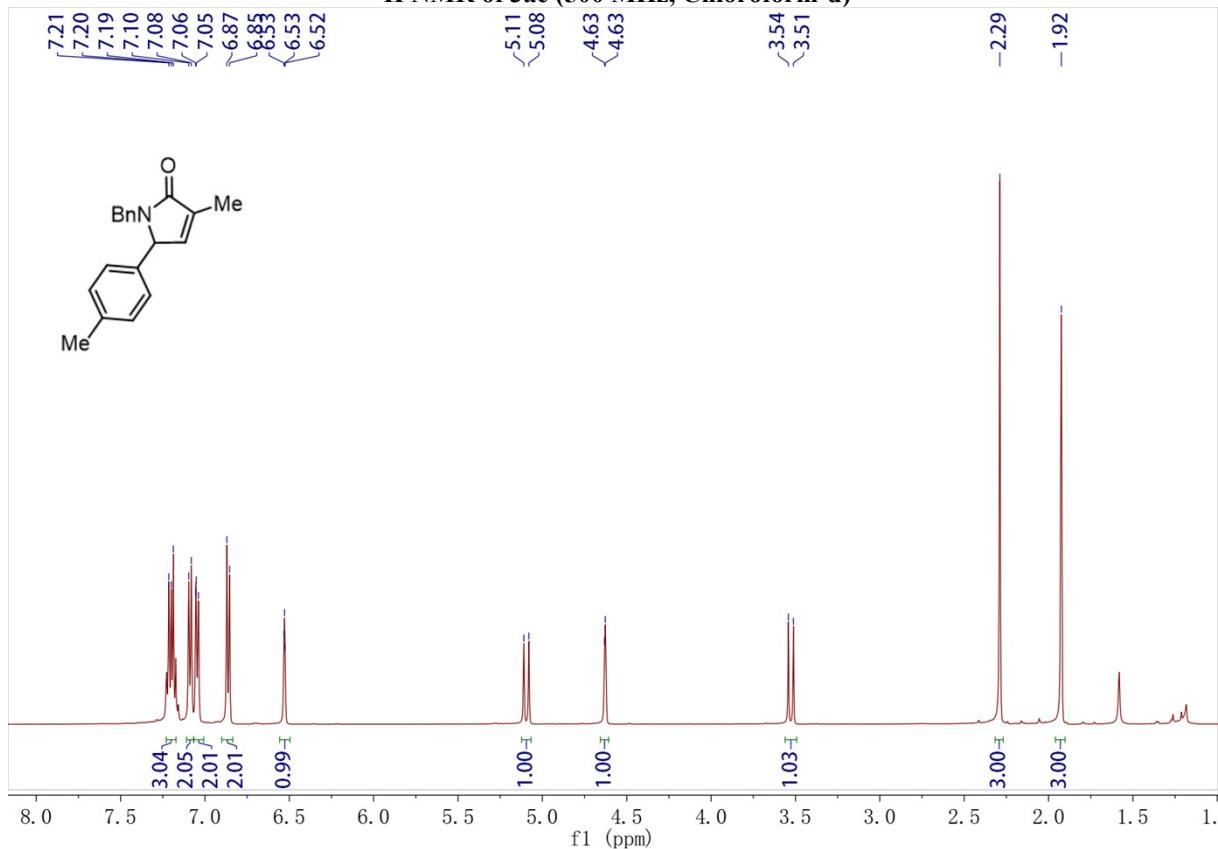
**<sup>1</sup>H NMR of 3ad (500 MHz, Chloroform-d)**



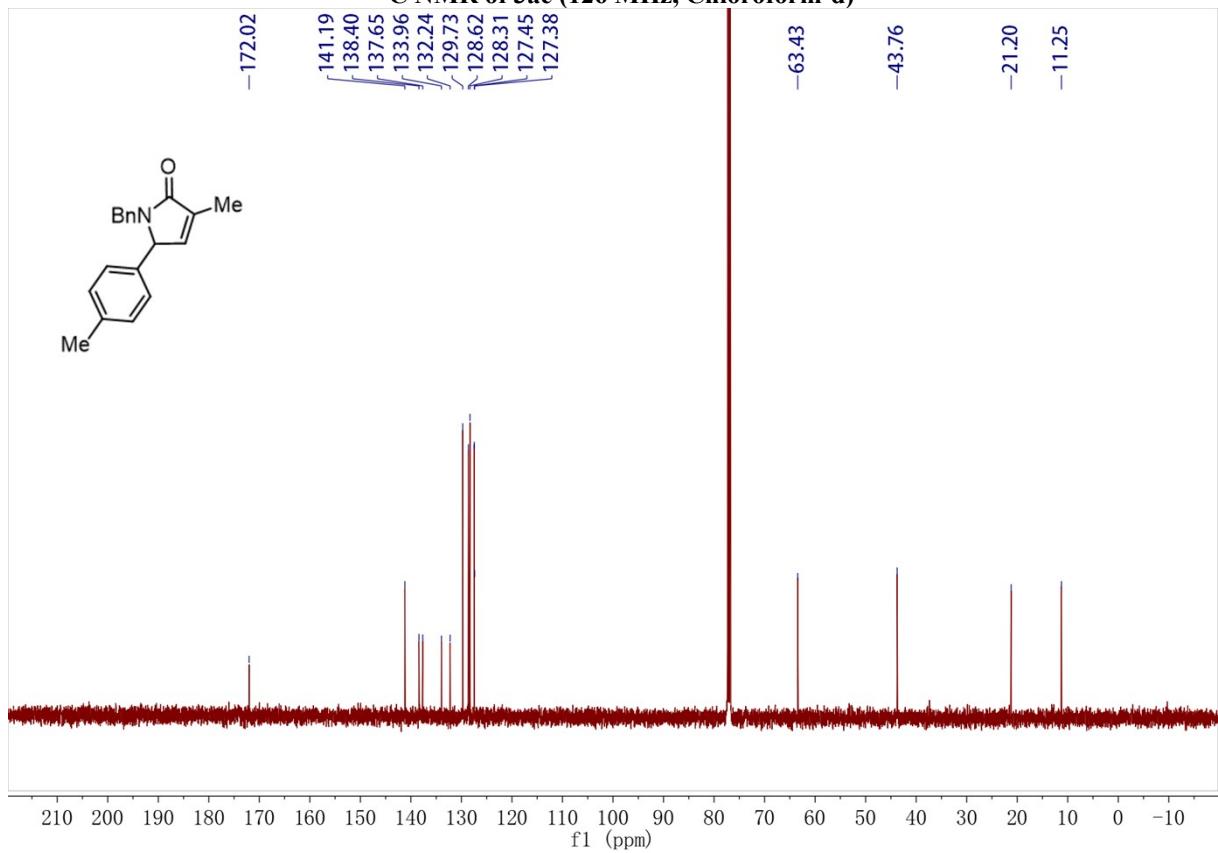
**<sup>13</sup>C NMR of 3ad (126 MHz, Chloroform-d)**



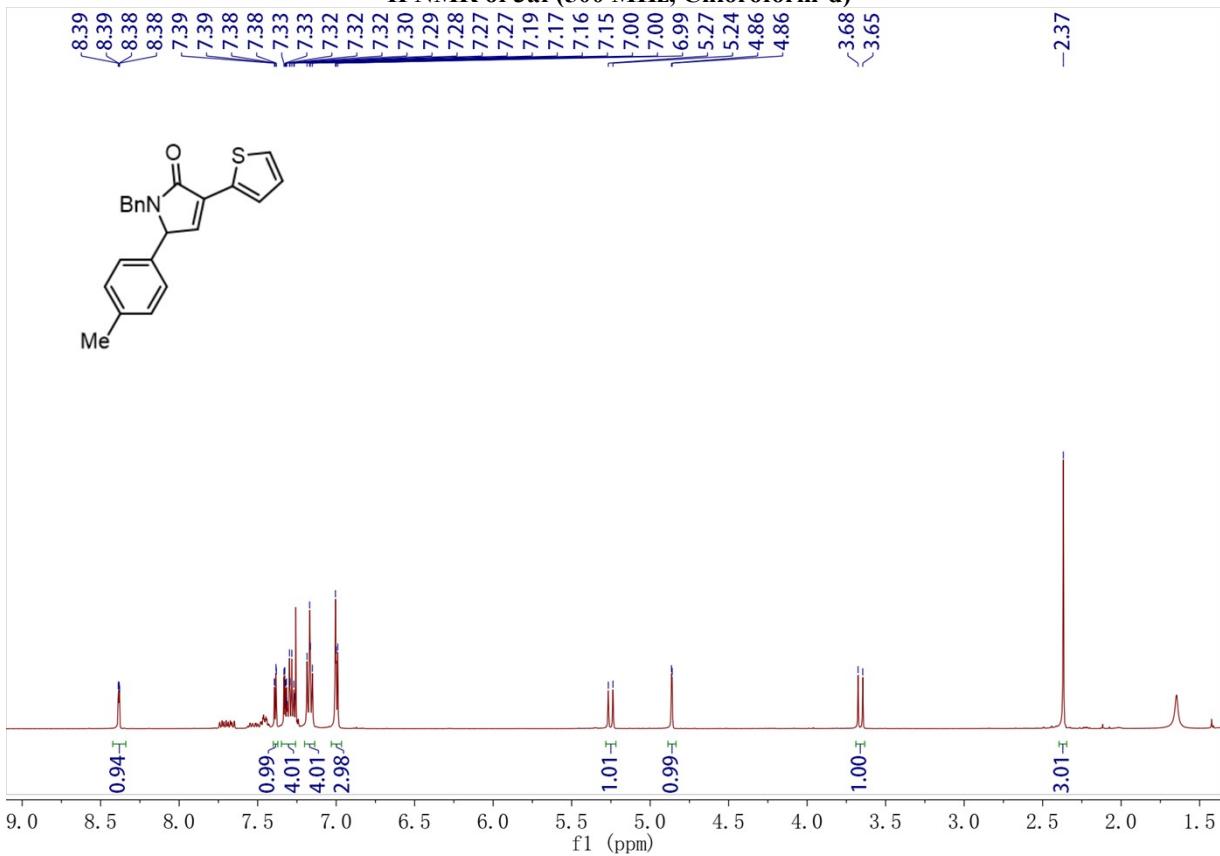
<sup>1</sup>H NMR of 3ae (500 MHz, Chloroform-d)



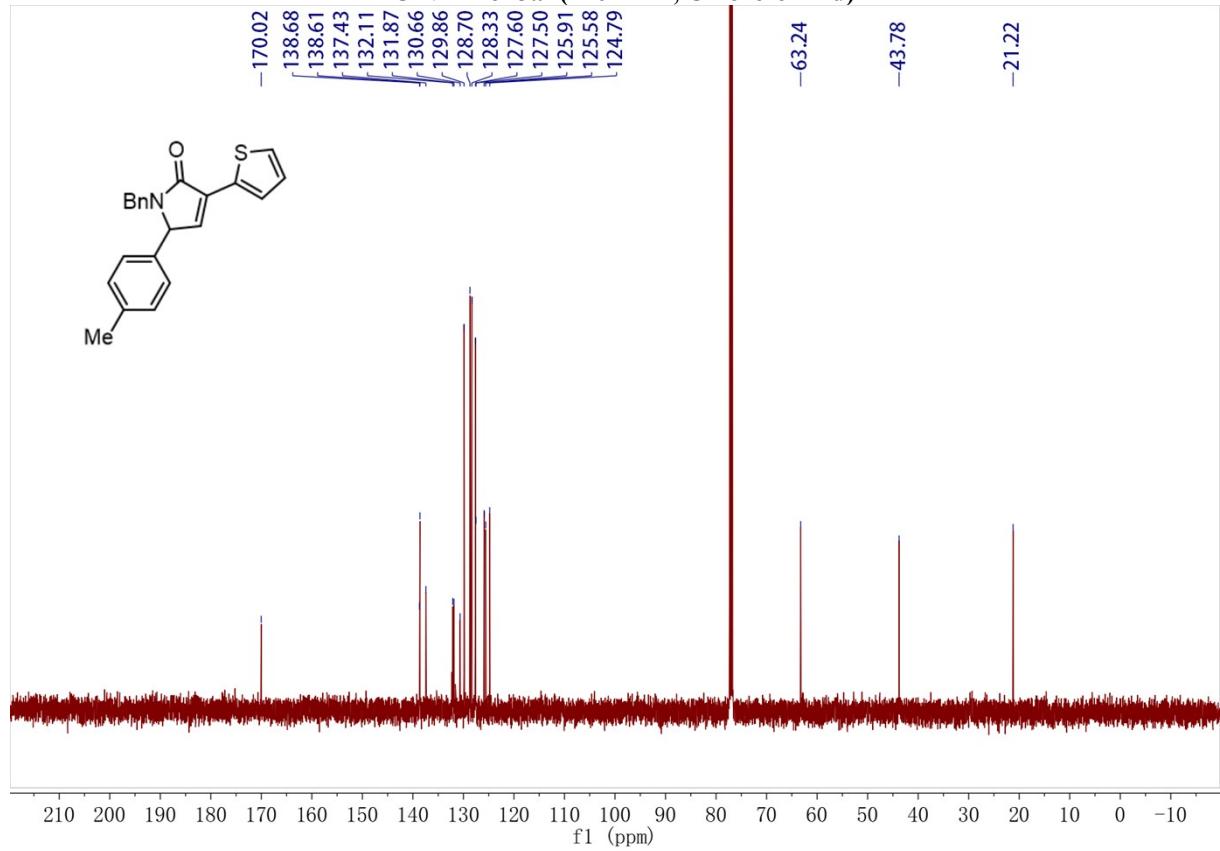
<sup>13</sup>C NMR of 3ae (126 MHz, Chloroform-d)



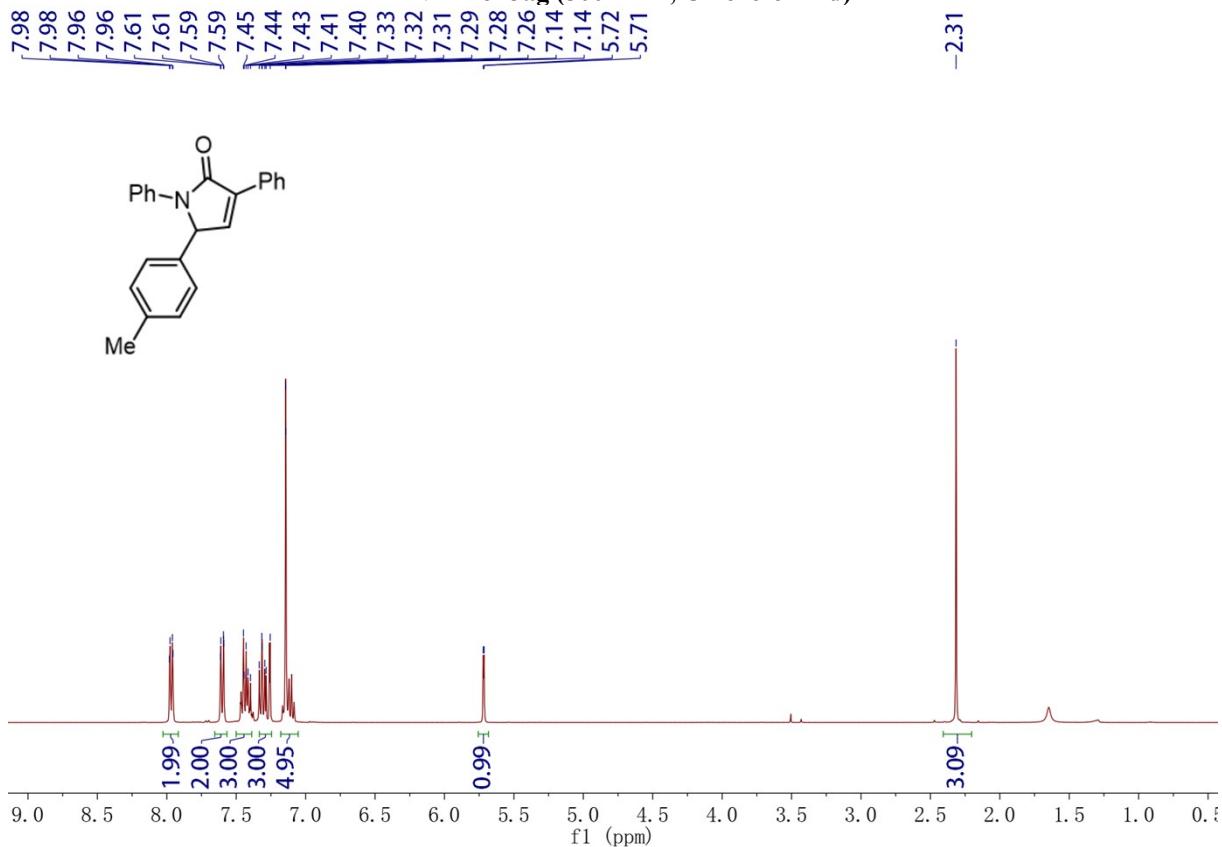
<sup>1</sup>H NMR of 3af (500 MHz, Chloroform-d)



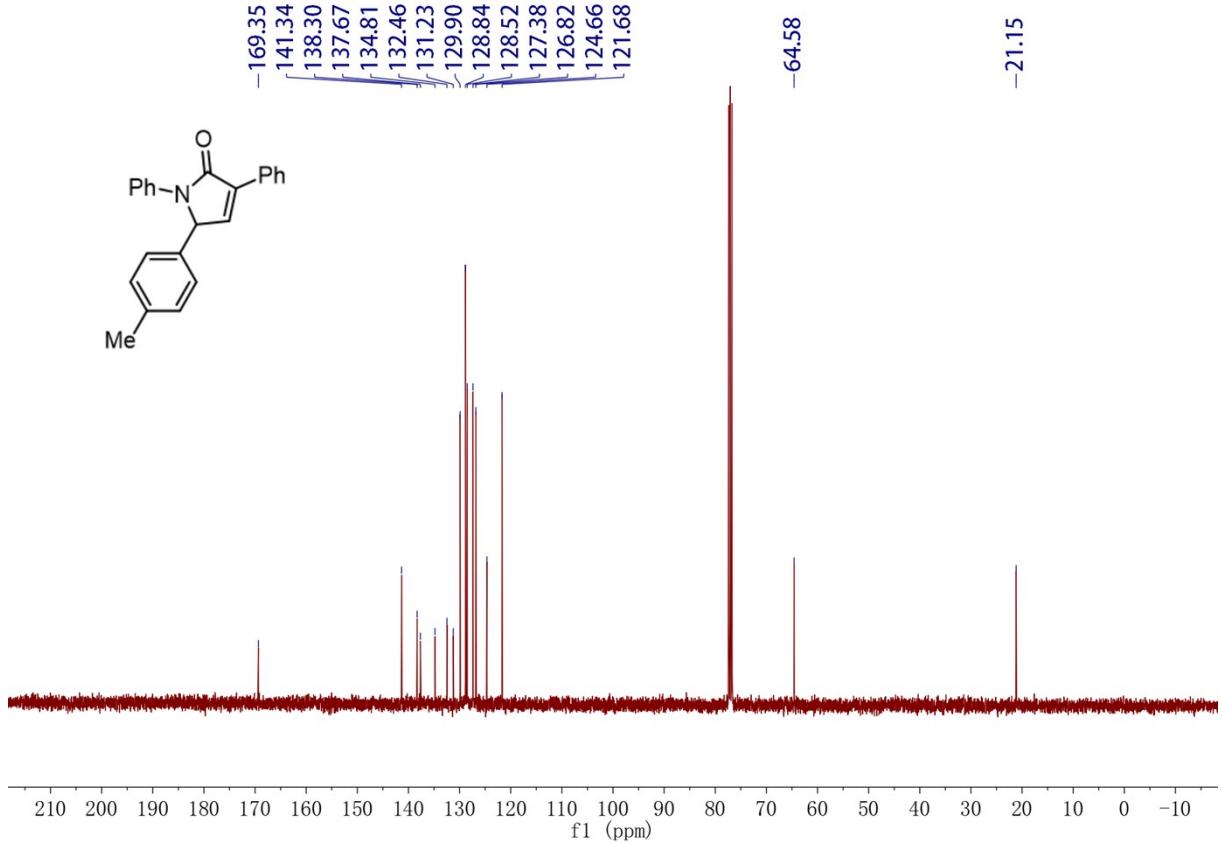
<sup>13</sup>C NMR of 3af (126 MHz, Chloroform-d)



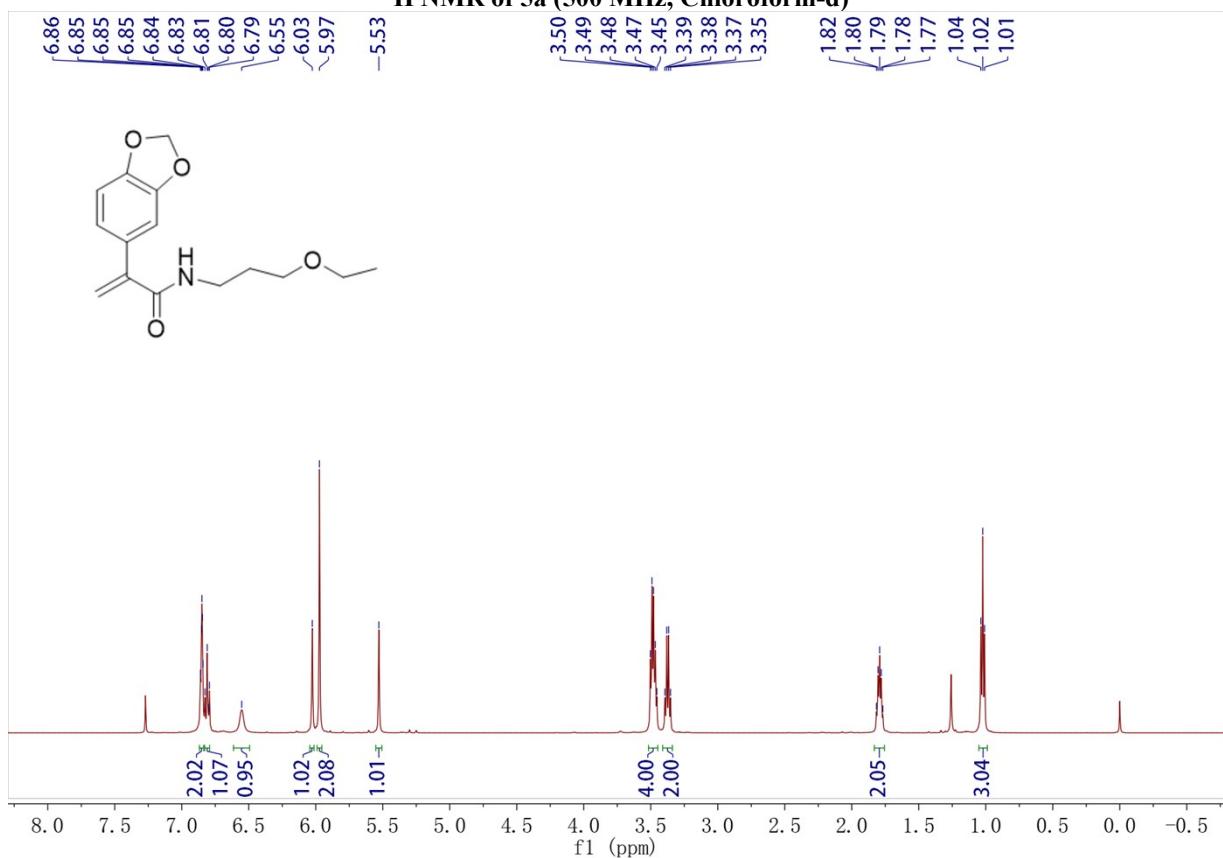
<sup>1</sup>H NMR of 3ag (500 MHz, Chloroform-d)



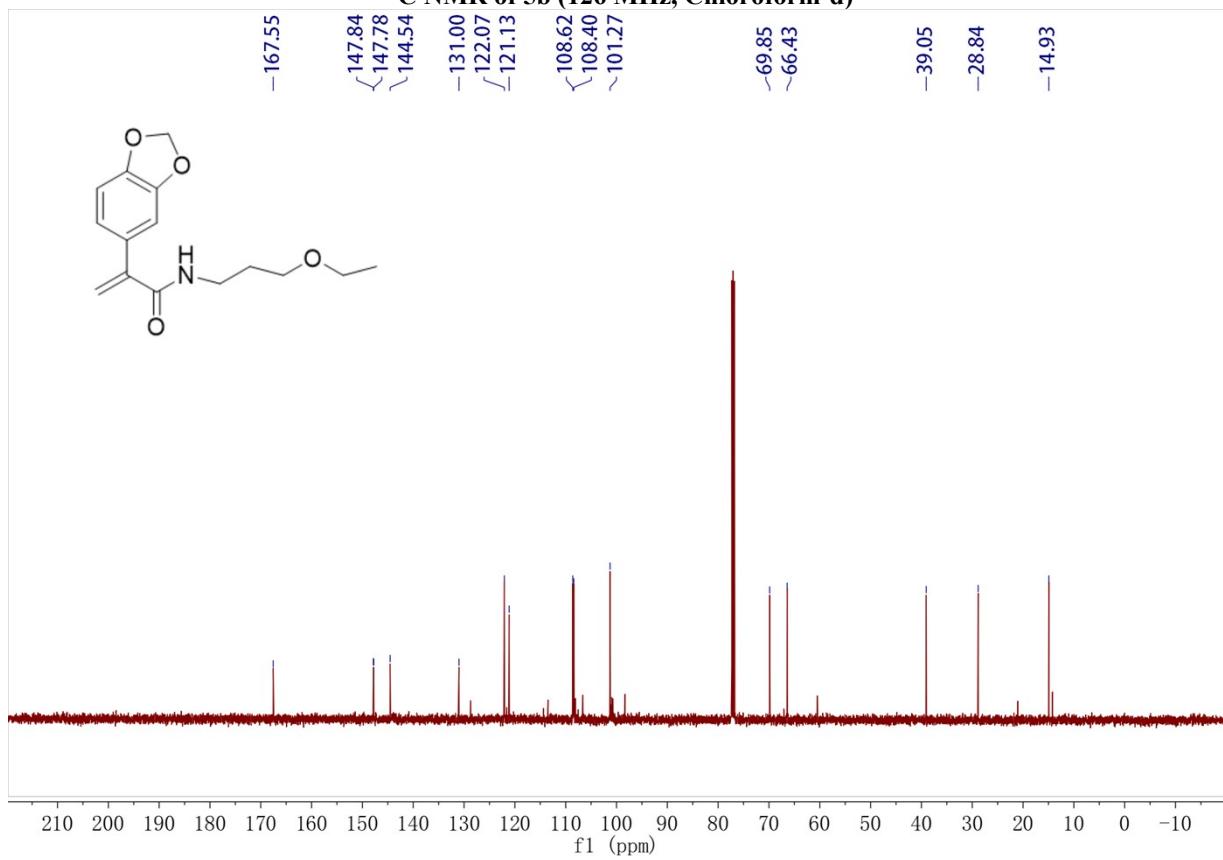
<sup>13</sup>C NMR of 3ag (126 MHz, Chloroform-d)

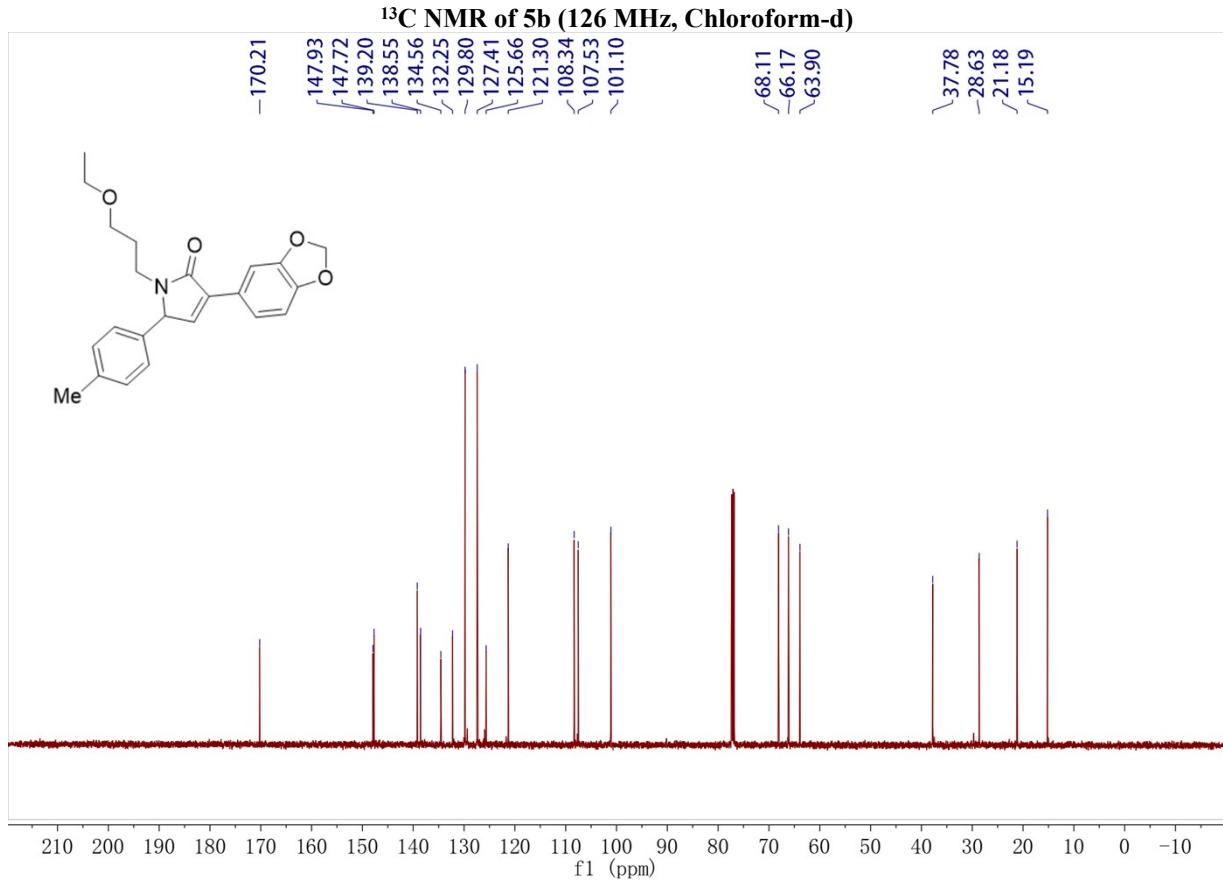
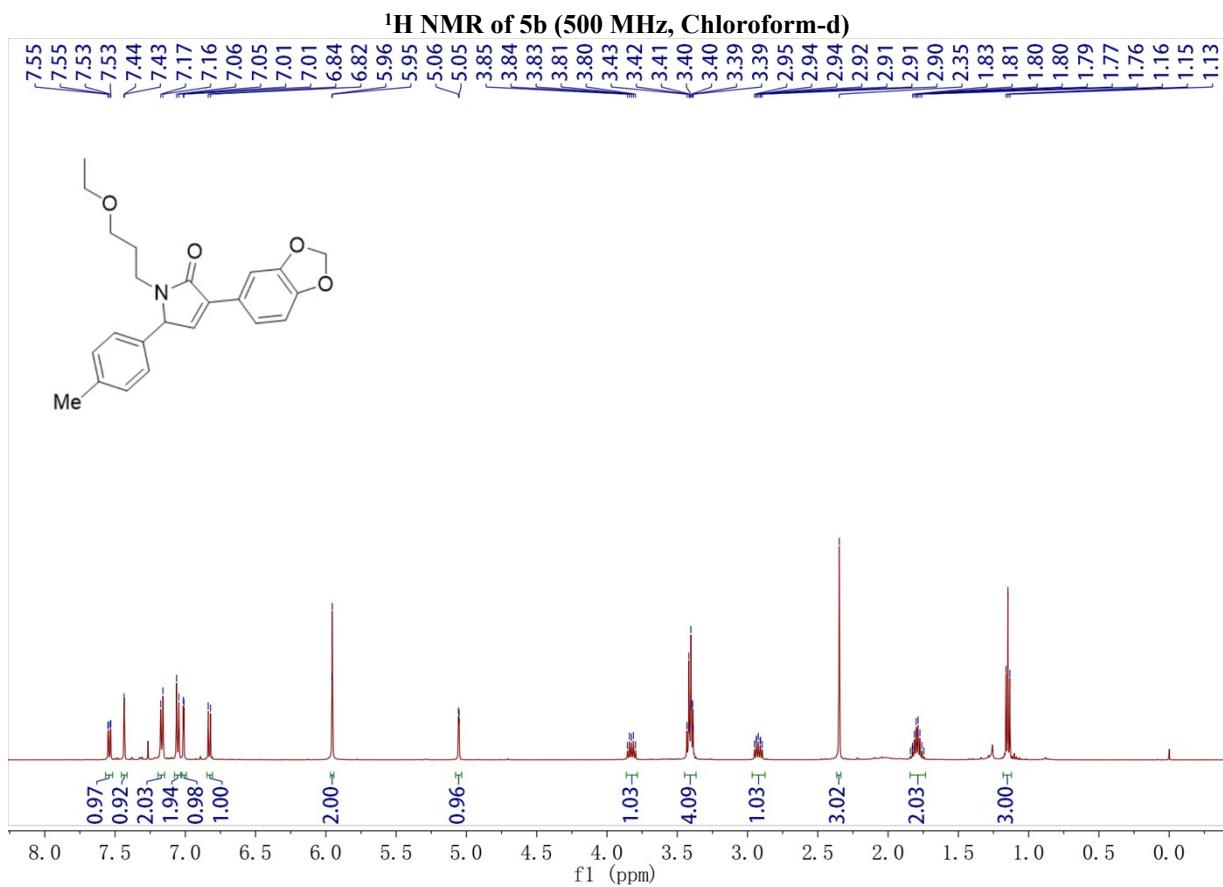


<sup>1</sup>H NMR of 5a (500 MHz, Chloroform-d)

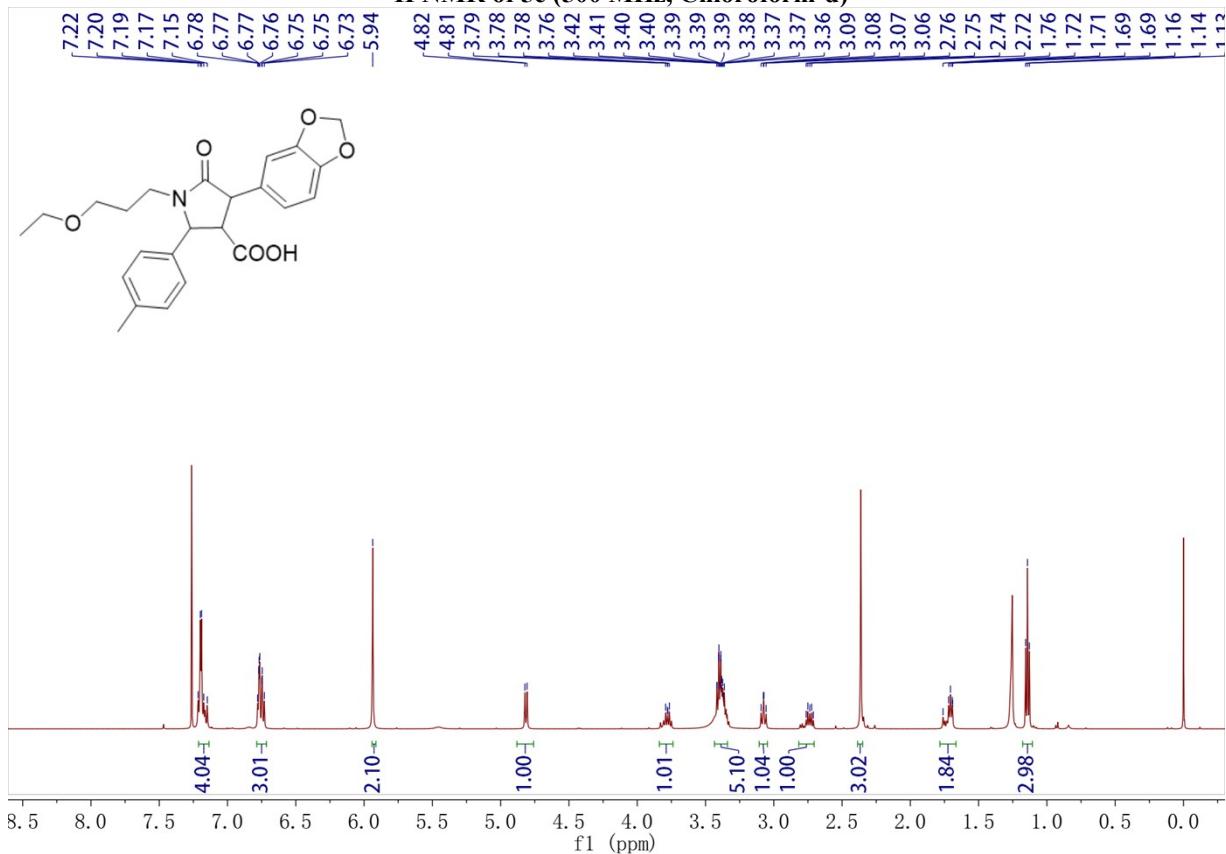


<sup>13</sup>C NMR of 5b (126 MHz, Chloroform-d)

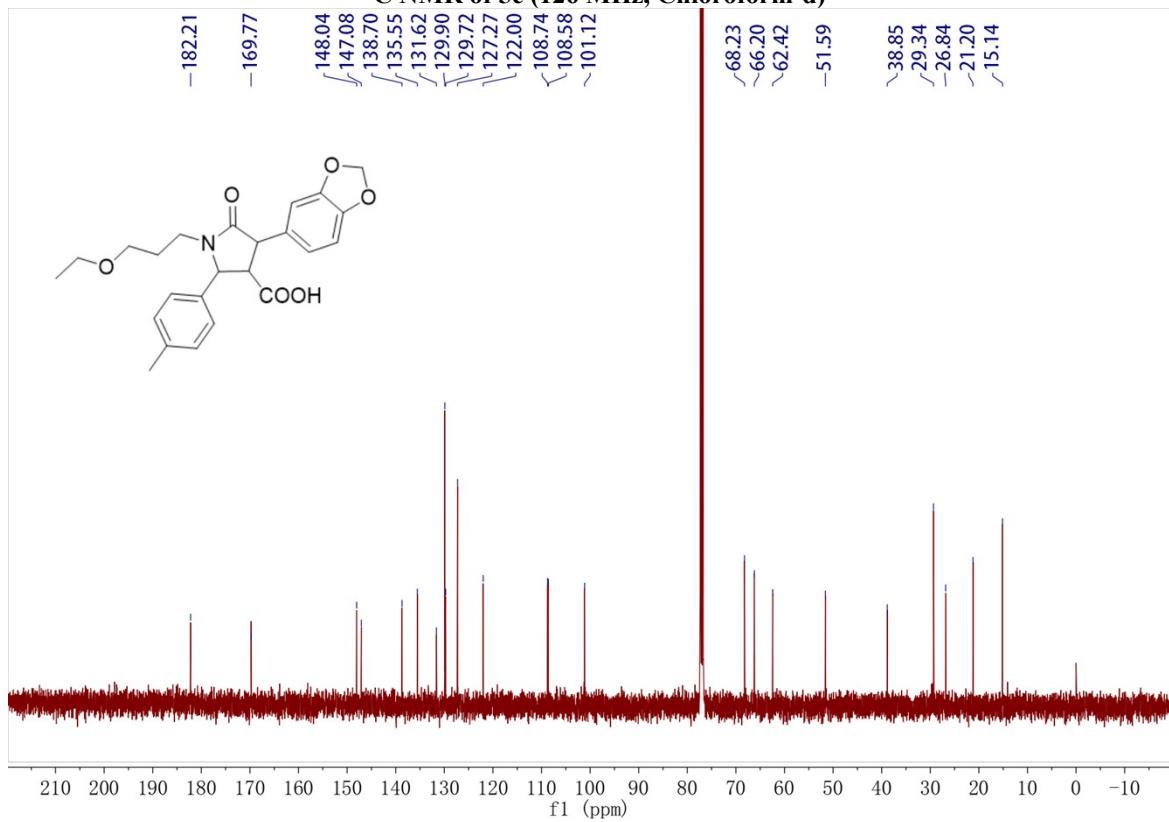




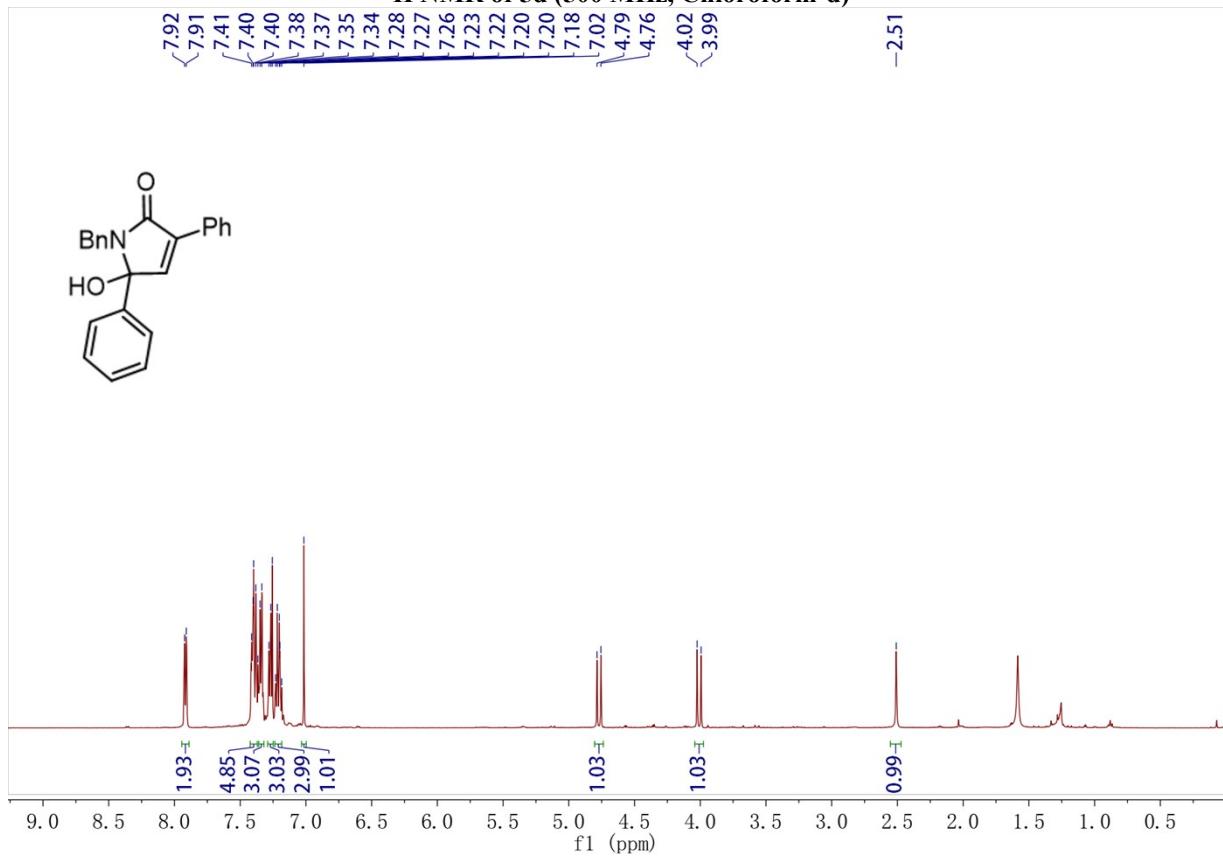
**<sup>1</sup>H NMR of 5c (500 MHz, Chloroform-d)**



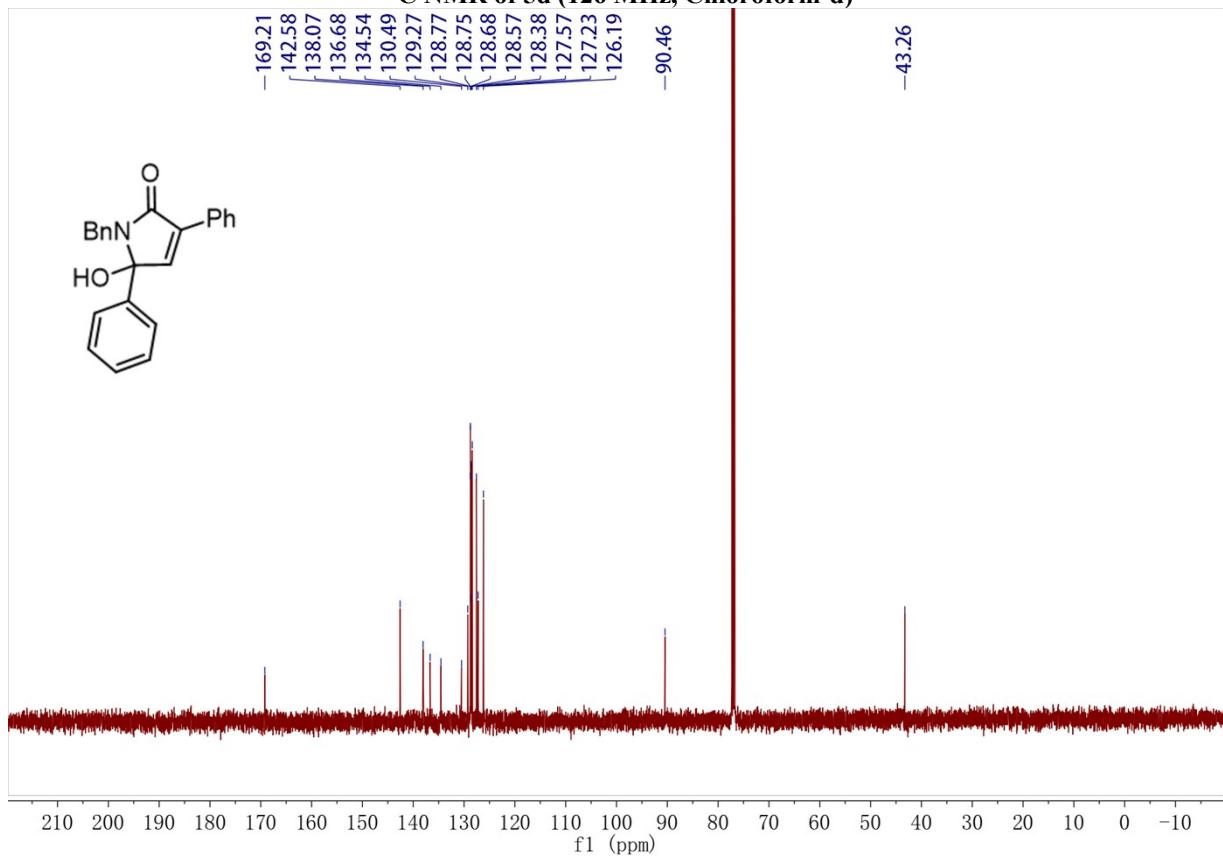
**<sup>13</sup>C NMR of 5c (126 MHz, Chloroform-d)**



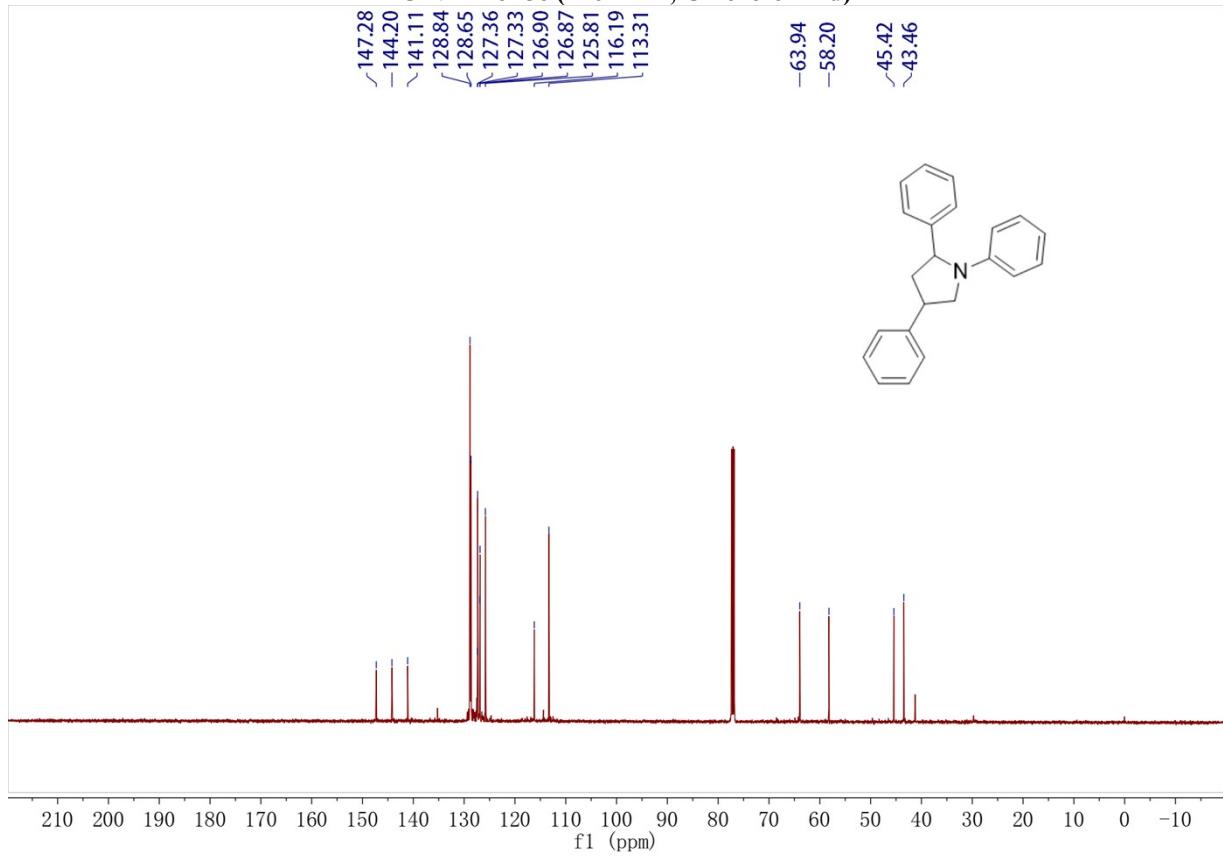
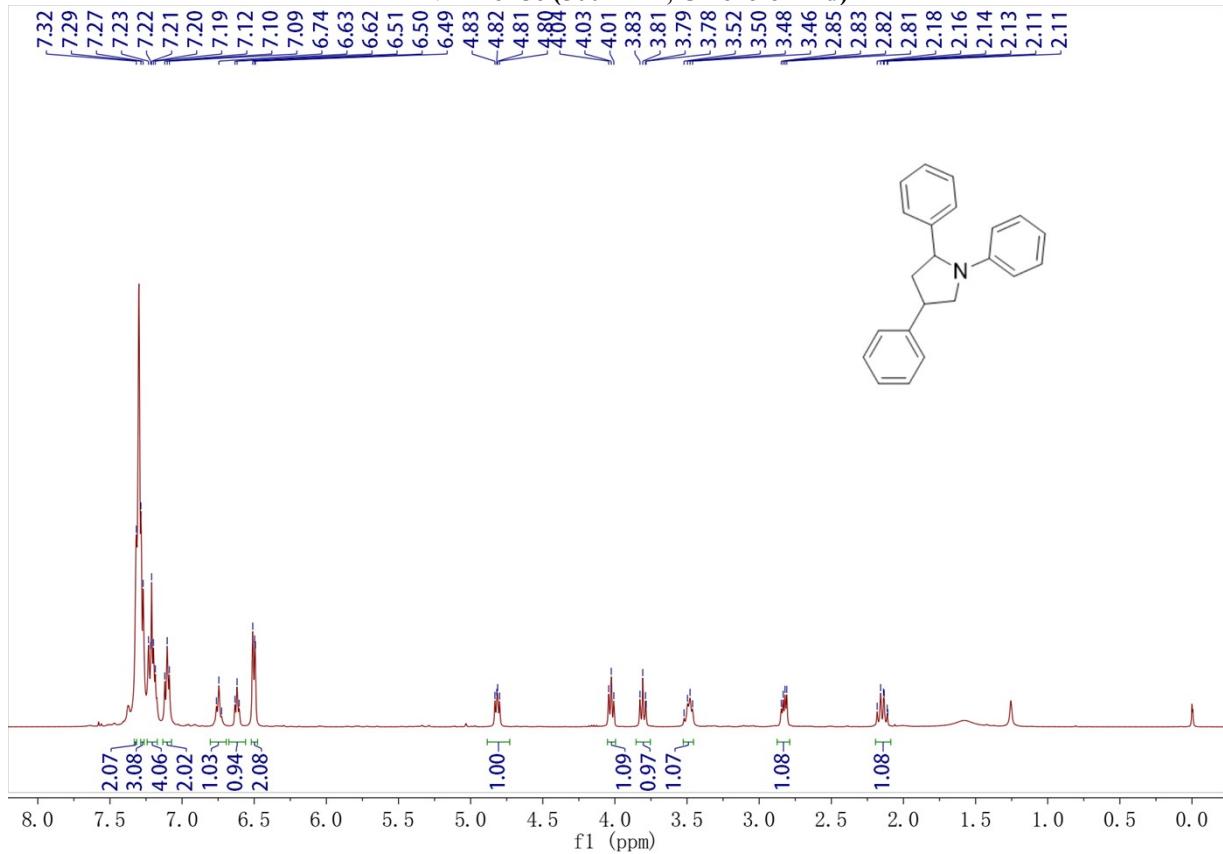
**<sup>1</sup>H NMR of 5d (500 MHz, Chloroform-d)**



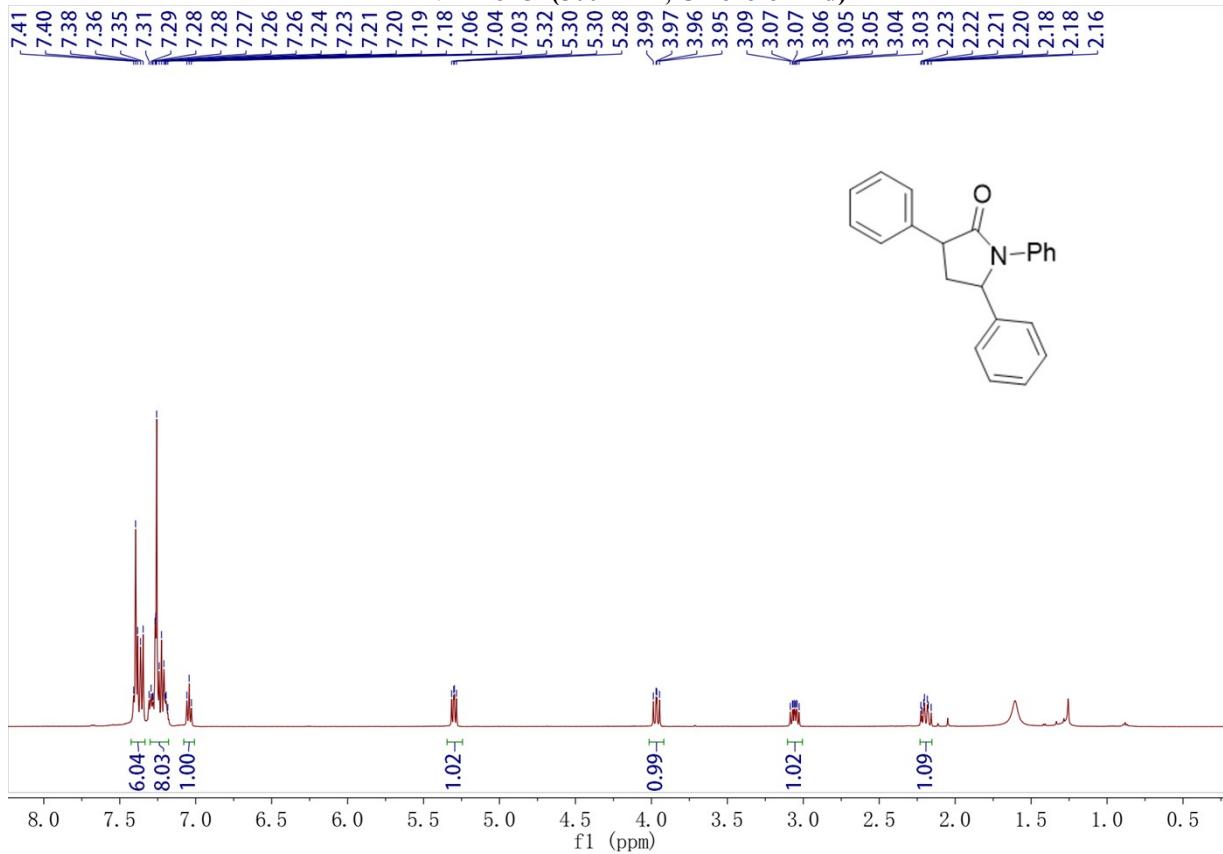
**<sup>13</sup>C NMR of 5d (126 MHz, Chloroform-d)**



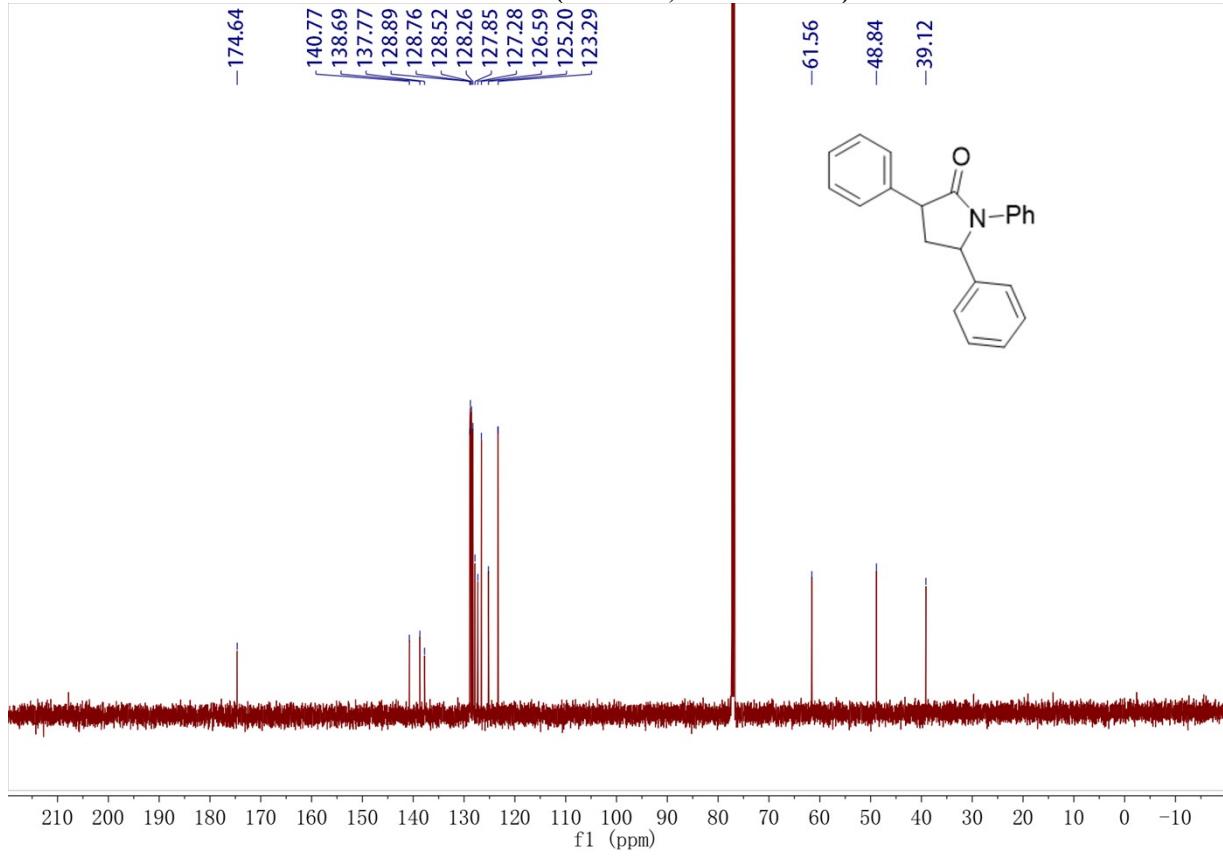
**<sup>1</sup>H NMR of 5e (500 MHz, Chloroform-d)**



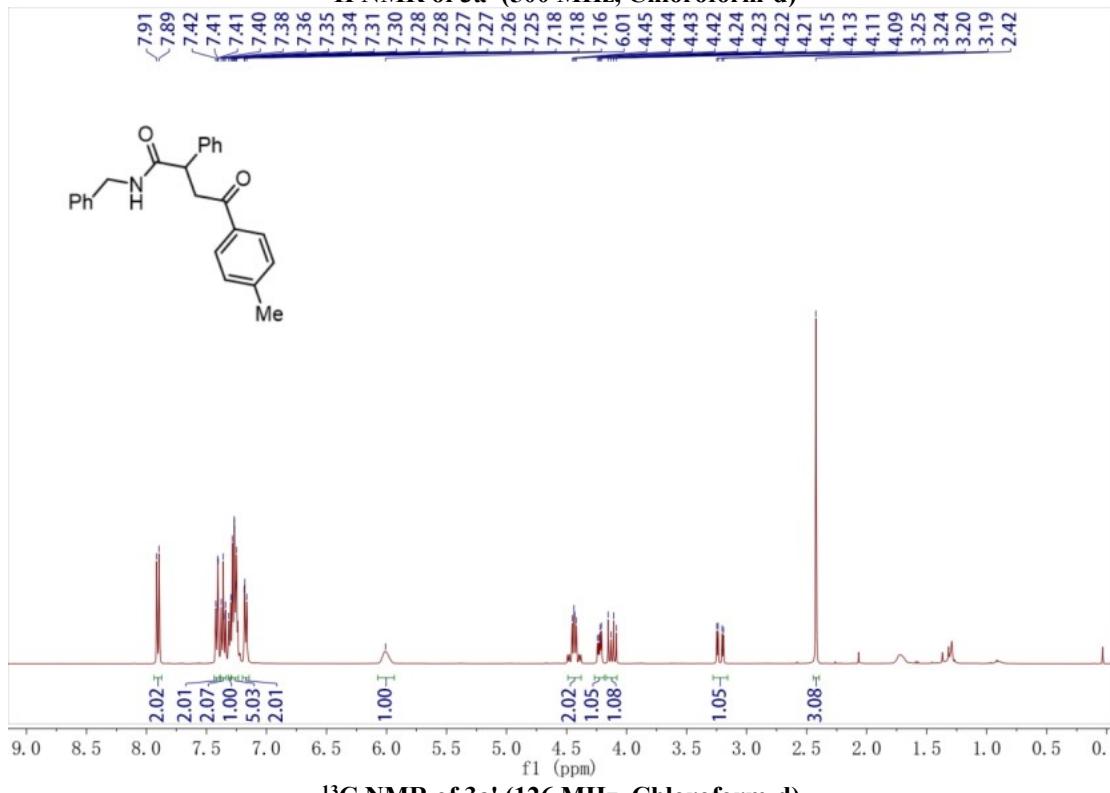
**<sup>1</sup>H NMR of 5f (500 MHz, Chloroform-d)**



**<sup>13</sup>C NMR of 5f (126 MHz, Chloroform-d)**



**<sup>1</sup>H NMR of 3a' (500 MHz, Chloroform-d)**



**<sup>13</sup>C NMR of 3a' (126 MHz, Chloroform-d)**

