

Supporting Information

One-pot synthesis of functionalized dihydropyridin-2-ones via carbene-catalyzed base-controlled [3+3] annulation reaction

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1. General Information

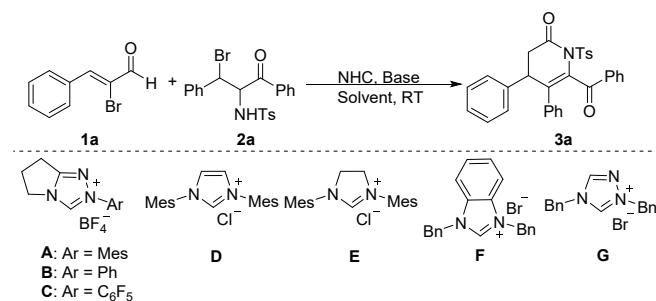
Unless otherwise stated, all commercially available reagents were used as received. NMR spectra were obtained in CDCl₃ using TMS as the internal standard on the Bruker AVANCE spectrometer at 400 MHz (for ¹H NMR) or 100 MHz (for ¹³C NMR), respectively. ¹H NMR coupling constants were reported in Hz. HRMS (m/z) were recorded on Thermo Scientific™ Q Exactive. Flash column chromatography was performed with Huanghai silica gel (300-400) in a mixture of petroleum ether (PE, b.p. 60-90°C) and ethyl acetate (EA) at increased pressure. The 2-bromoenals **1** were synthesized from the corresponding cinnamaldehydes and Br₂ according to the literatures.¹⁻² Vicinal haloamines **2** and **4** were prepared from chalcones according to known literatures.³⁻⁵ The NHC precursors were synthesized by using known methods.⁶⁻⁹

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2. Optimization of the reaction conditions



Entry	Catalyst	base	solvent	Yield (%) ^b
1	A	DABCO	CH ₃ CN	53
2	B	DABCO	CH ₃ CN	50
3	C	DABCO	CH ₃ CN	NR
4	D	DABCO	CH ₃ CN	Trace
5	E	DABCO	CH ₃ CN	Trace
6	F	DABCO	CH ₃ CN	26
7	G	DABCO	CH ₃ CN	60
8	G	Cs ₂ CO ₃	CH ₃ CN	ND
9	G	Et ₃ N	CH ₃ CN	Trace
10	G	DBU	CH ₃ CN	Trace
11	G	DIPEA	CH ₃ CN	Trace
12	G	Pyridine	CH ₃ CN	NR
13	G	DMAP	CH ₃ CN	72
14	G	DMAP	Toluene	93
15	G	DMAP	DCM	60
16	G	DMAP	EA	85
17	G	DMAP	THF	72
18	G	DMAP	DMF	42
19	G	DMAP	MTBE	34

^a Reaction conditions: **1a** (0.12 mmol), **2a** (0.1 mmol), Cat (0.02 mmol), Base (0.3 mmol), Solvent (1.5 ml), 48 h at room temperature. ^b Isolated yields.

At the outset of this study, the feasibility of this protocol was focused on evaluate the reaction by

using 2-bromoenoal **1a** and α -amino- β -bromo ketone derivative **2a** as the model reaction substrates in the presence of NHC produced from triazolium salt **A** as a catalyst, DABCO as the base in acetonitrile. Under these reaction conditions, the reaction furnished the desired product of dihydropyridin-2-ones **3a** in 53% yield (entry 1). In contrast to the NHC precatalyst **A**, other NHC precursors **B–F** were found to be ineffective in facilitating this aza-[3+3] annulation reaction (entries 2–6). Notably, when the NHC precursor **G** was used in this procedure, a promising yield of the target product **3a** was observed (entry 7). Then, with **G** as the ideal precatalyst, several different bases were carried out for further screen. These results showed that the base has significant influence on the reaction yield of the desired product and reaction pathways. As shown in the table below, different types of bases investigations were employed and these results identified that both nucleophilicity and basicity of the base exerted a significant direct impact on the reaction process. As anticipated, Cs_2CO_3 acting as a Brønsted base can only be allowed to release the NHC as an efficient catalyst and Promote the nucleophilic substitution reaction for debromination of vicinal haloamine **2a** to deliver 2- benzoyl-3-phenyl-1-tosylaziridine product. However, it has no effect to further promote intermolecular cyclization reaction for the efficient synthesis of target product. The results of this study also indicate that the nucleophilicity of the base plays an essential role in the transformation process (entry 7 vs entry 8). Accordingly, other canonical organic bases including Et_3N , DBU and DIPEA were then investigated, and all of them only provided a very small amount of the desired reaction product (entries 9–11). Then, we tried to use pyridine which has been successfully applied to the formation of pyridinium salts as an organic base to promote the reaction, but no desired product was detected. These results suggested that DMAP is a proper base for further the optimization of reaction conditions (entry 13). And hence various solvents optimization studies were performed in DMAP and these results suggested that toluene was the best choice affording the desired **3a** in 93% yield (entry 14 vs entries 13–19). Finally, the best result could be achieved by using the NHC precursor **G** (20 mmol%), DMAP (3.0 equiv) in toluene at rt.

3. Experimental Section

General procedure for the preparation of **2a'**.

Under N₂ atmosphere, α -amino- β -bromo ketone derivative **2a** (0.1 mmol) and DMAP (0.1 mmol) were successively added into a 10 ml reaction tube, then toluene (1.5 ml) was added with stirring. The resulting mixture was continuously stirred at room temperature. After completion, the solid **2a'** were obtained by suction filtration and was washed with a small amount of toluene.

General procedure for the synthesis of 3 and 5.

Under N₂ atmosphere, 2-bromoenals **1** (0.12 mmol), Vicinal haloamines **2** or **4** (0.1 mmol), NHC precursor **G** (0.02 mmol), and DMAP (0.3 mmol) were successively added into a 10 ml reaction tube, then toluene (1.5 ml) was added with stirring. The resulting mixture was continuously stirred at room temperature. After completion, the products **3** or **5** were obtained by flash column chromatography on a short packed silica gel column eluting with petroleum ether/EtOAc (3:1).

A typical procedure for the preparation of 6a.

Under N₂ atmosphere, a dry reaction tube was charged with **3a** (51 mg, 0.1 mmol) and CH₃OH (1.5 ml). Then Cs₂CO₃ (49 mg, 0.15 mmol) was added with stirring, the mixture was stirred for 12 h at room temperature. After completion, the solvent was removed under reduced pressure and the residue was purified by flash column chromatography on a short packed silica gel column eluting with petroleum ether/EtOAc (3:1).

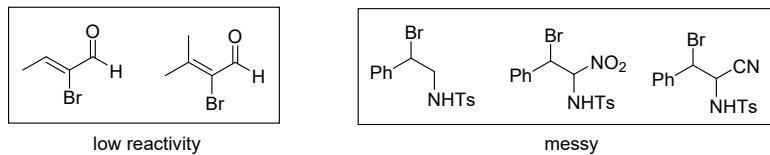
A typical procedure for the preparation of 7a.

A dry reaction tube was charged with **3a** (51 mg, 0.1 mmol) and toluene (1.5 ml). Then DBU (23 μ l, 0.15 mmol) was added with stirring, the mixture was stirred for 24 h at room temperature in open-air atmosphere. After completion, the product **7a** was obtained by flash column chromatography on a short packed silica gel column eluting with petroleum ether/EtOAc (1:1).

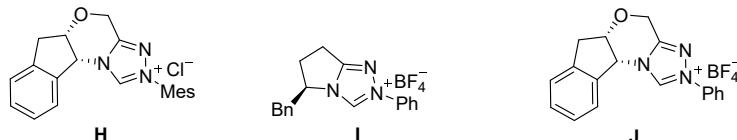
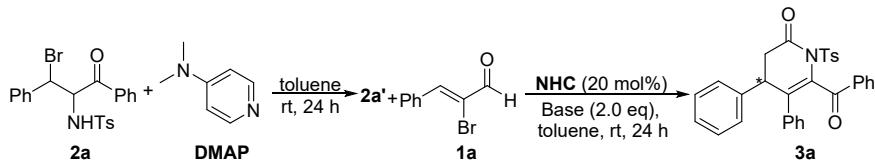
A typical procedure for the preparation of 8a.

A dry reaction tube was charged with **3a** (51 mg, 0.1 mmol), Pd/C (10 mol %), Na₂CO₃ (400 mol %) and MeOH (2 mL) at room temperature under argon. Then the vial was placed under vacuum and back-filled with argon three times. After removal of the argon atmosphere with vacuum, a hydrogen balloon was placed in the vial and the mixture was stirred for 48 h. After completion, the product **8a** was obtained by flash column chromatography on a short packed silica gel column eluting with petroleum ether/EtOAc (3:1).

Scope Limitation:



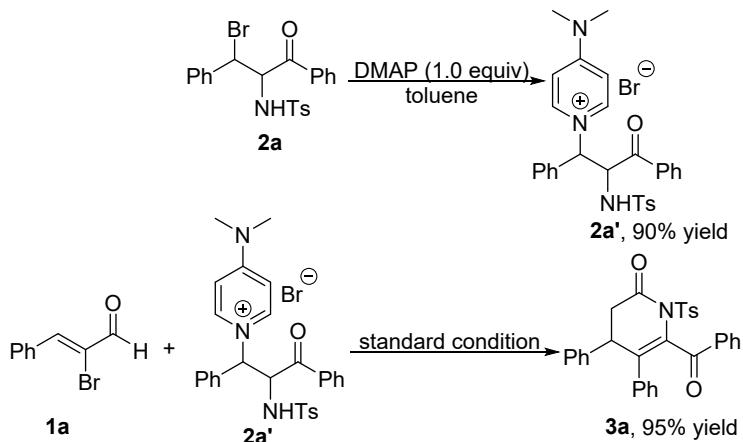
The preliminary investigation of enantioselectivity of this protocol.



Entry	Base	Catalyst	Yield (%) ^[b]	ee ^[c]
1	DMAP	H	90	78
2	DMAP	I	81	-32
3	DMAP	J	92	73
4	K ₂ CO ₃	H	78	83
5	DABCO	H	84	92
6	DIPEA	H	80	85

^[a] Reaction conditions: **2a** (0.1 mmol), DMAP (0.1 mmol), toluene (1.5 ml), room temperature, 24 h. Then, **1a** (0.12 mmol), NHC (0.02 mmol), Base (0.2 mmol) was added with stirring at room temperature for 24 h under an N₂ atmosphere. ^[b] Isolated yields. ^[c] Ee was determined via HPLC on chiral stationary phase.

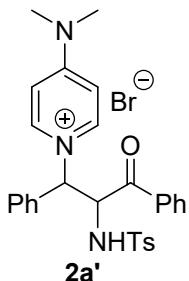
4. Control experiments



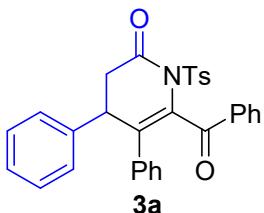
To investigate whether the salt was a possible reaction intermediate, dimethylaminopyridinium

salt **2a'** was isolated in a yield of 90% and subjected to the reaction. The reaction smoothly performed under standard conditions, and the desired product **3a** was isolated in 95% yield (Scheme 7a). These results indicated that DMAP plays a crucial role in this transformation.

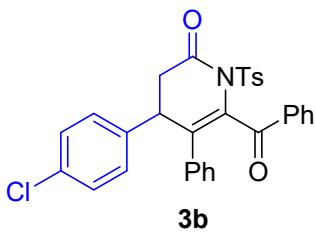
5. The data of the products **2a'**, **3**, **5**, **6a**, **7a**, **8a**



4-(dimethylamino)-1-(2-((4-methylphenyl)sulfonamido)-3-oxo-1,3-diphenylpropyl)pyridin-1-ium bromide **2a':** white solid, ^1H NMR (400 MHz, CDCl_3) δ 8.10 (s, 2H), 7.74 (d, $J = 5.2$ Hz, 2H), 7.69 (d, $J = 7.8$ Hz, 2H), 7.59 (d, $J = 7.6$ Hz, 2H), 7.54 (d, $J = 7.2$ Hz, 1H), 7.43 (t, $J = 7.4$ Hz, 2H), 7.34 (s, 3H), 7.21 – 7.10 (m, 3H), 7.03 (s, 1H), 6.82 (d, $J = 6.4$ Hz, 2H), 3.22 (d, $J = 10.5$ Hz, 7H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.7, 157.4, 144.1, 139.1, 138.5, 136.4, 136.3, 132.7, 132.4, 131.4, 130.7, 130.4, 129.5, 129.1, 128.5, 128.4, 127.4, 106.9, 40.4, 21.5. HRMS (FTMS-ESI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{29}\text{H}_{31}\text{BrN}_3\text{O}_3\text{S}^+$: 580.1264; found: 580.1102.

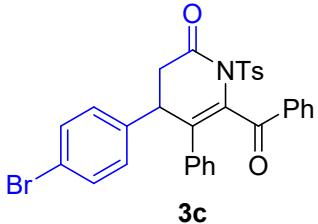


6-benzoyl-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1*H*)-one **3a:** white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 7.9$ Hz, 2H), 7.84 (d, $J = 7.7$ Hz, 2H), 7.53 – 7.43 (m, 4H), 7.37 (t, $J = 7.0$ Hz, 1H), 7.30 (dd, $J = 12.7, 7.7$ Hz, 3H), 7.19 (t, $J = 7.5$ Hz, 2H), 6.95 (d, $J = 4.8$ Hz, 3H), 6.88 (d, $J = 4.7$ Hz, 2H), 3.93 (d, $J = 5.3$ Hz, 1H), 3.18 (dd, $J = 16.4, 6.4$ Hz, 1H), 2.85 (d, $J = 16.5$ Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.5, 169.3, 145.4, 138.3, 137.6, 136.8, 135.3, 133.7, 132.9, 132.7, 129.9, 129.7, 129.5, 129.2, 128.2, 128.1, 128.0, 127.9, 127.8, 127.7, 45.0, 41.8, 21.8. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{31}\text{H}_{26}\text{NO}_4\text{S}^+$: 508.1577; found: 508.1581.

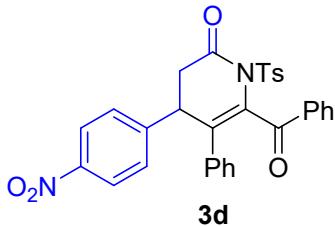


6-benzoyl-4-(4-chlorophenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1*H*)-one **3b:** white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 7.8$ Hz, 2H), 7.81 (d, $J = 7.7$ Hz, 2H), 7.42 (s, 4H), 7.30 (t, J

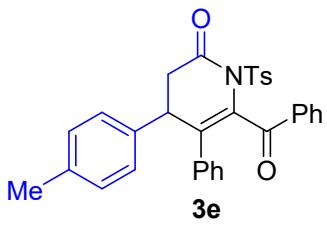
= 8.0 Hz, 3H), 7.19 (t, J = 7.4 Hz, 2H), 6.96 (d, J = 4.9 Hz, 3H), 6.87 (d, J = 4.8 Hz, 2H), 3.89 (d, J = 5.5 Hz, 1H), 3.16 (dd, J = 16.5, 6.3 Hz, 1H), 2.81 (d, J = 16.5 Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.3, 169.0, 145.5, 137.3, 136.8, 136.7, 135.1, 134.0, 133.9, 132.8, 132.6, 129.8, 129.7, 129.2, 129.0, 128.3, 127.9, 127.7, 44.4, 41.6, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₅ClNO₄S+: 542.1187; found: 542.1189.



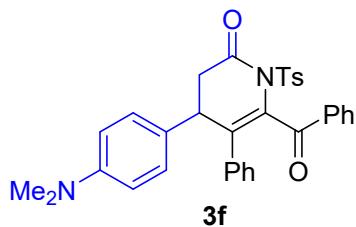
6-benzoyl-4-(4-bromophenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3c: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, J = 8.1 Hz, 2H), 7.81 (d, J = 7.6 Hz, 2H), 7.57 (d, J = 8.0 Hz, 2H), 7.36 (d, J = 8.0 Hz, 2H), 7.30 (t, J = 8.3 Hz, 3H), 7.19 (t, J = 7.5 Hz, 2H), 6.96 (d, J = 4.3 Hz, 3H), 6.87 (d, J = 4.2 Hz, 2H), 3.87 (d, J = 3.9 Hz, 1H), 3.16 (dd, J = 16.5, 6.3 Hz, 1H), 2.81 (d, J = 16.4 Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.3, 168.9, 145.5, 137.4, 137.3, 136.6, 135.1, 134.0, 132.8, 132.7, 132.5, 129.8, 129.7, 129.3, 129.2, 128.3, 127.9, 127.7, 122.1, 44.4, 41.5, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₅BrNO₄S+: 586.0682; found: 586.0684.



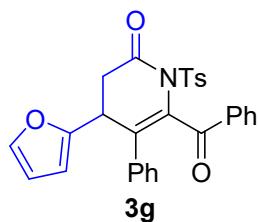
6-benzoyl-4-(4-nitrophenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3d: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, J = 8.4 Hz, 2H), 7.82 (d, J = 7.9 Hz, 2H), 7.55 (d, J = 7.7 Hz, 2H), 7.41 (t, J = 7.3 Hz, 1H), 7.28 (d, J = 8.0 Hz, 2H), 7.17 (dd, J = 15.5, 7.6 Hz, 3H), 7.10 (t, J = 7.2 Hz, 2H), 7.05 (d, J = 8.2 Hz, 3H), 6.89 (d, J = 7.2 Hz, 2H), 4.01 (d, J = 19.8 Hz, 1H), 3.26 (d, J = 19.8 Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 193.4, 167.9, 146.8, 145.5, 144.9, 136.4, 134.5, 134.4, 134.0, 133.1, 132.6, 129.6, 129.5, 129.22, 129.18, 129.1, 129.0, 128.6, 128.4, 123.4, 66.1, 40.3, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₅N₂O₆S+: 553.1428; found: 553.1431.



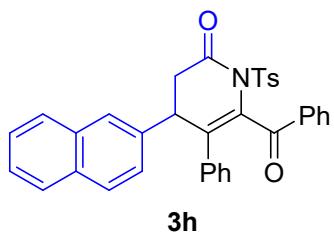
6-benzoyl-5-phenyl-4-(p-tolyl)-1-tosyl-3,4-dihydropyridin-2(1H)-one 3e: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, J = 7.7 Hz, 2H), 7.84 (d, J = 7.5 Hz, 2H), 7.39 (d, J = 7.3 Hz, 2H), 7.28 (dd, J = 13.9, 7.7 Hz, 5H), 7.18 (t, J = 7.3 Hz, 2H), 6.97 – 6.86 (m, 5H), 3.87 (d, J = 4.6 Hz, 1H), 3.14 (dd, J = 16.3, 6.1 Hz, 1H), 2.81 (d, J = 16.3 Hz, 1H), 2.40 (d, J = 13.0 Hz, 6H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.6, 169.4, 145.3, 137.7, 136.8, 135.4, 135.2, 133.6, 133.1, 132.7, 130.2, 129.9, 129.7, 129.1, 128.2, 128.1, 127.9, 127.7, 127.5, 44.7, 41.9, 21.7, 21.2. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₂₈NO₄S+: 522.1734; found: 522.1736.



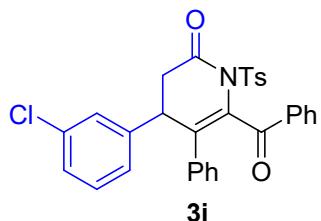
6-benzoyl-4-(4-(dimethylamino)phenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3f: brown solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 8.1$ Hz, 2H), 7.84 (d, $J = 7.6$ Hz, 2H), 7.36 (d, $J = 8.3$ Hz, 2H), 7.28 (dd, $J = 16.2, 8.0$ Hz, 3H), 7.17 (t, $J = 7.5$ Hz, 2H), 6.93 (s, 5H), 6.80 (d, $J = 8.4$ Hz, 2H), 3.79 (d, $J = 4.3$ Hz, 1H), 3.12 (dd, $J = 16.4, 6.4$ Hz, 1H), 2.98 (s, 6H), 2.79 (d, $J = 16.4$ Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.7, 169.7, 150.2, 145.2, 138.0, 136.9, 135.5, 133.6, 133.1, 132.6, 129.9, 129.7, 129.1, 128.3, 128.1, 128.0, 127.8, 127.7, 125.4, 113.3, 44.3, 41.9, 40.5, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{33}\text{H}_{31}\text{N}_2\text{O}_4\text{S}^+$: 551.1999; found: 551.2004.



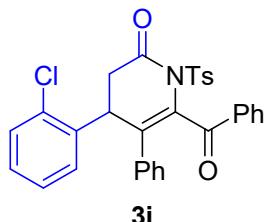
6-benzoyl-4-(furan-2-yl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3g: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.9$ Hz, 2H), 7.77 (d, $J = 7.8$ Hz, 2H), 7.49 (s, 1H), 7.32 (d, $J = 8.0$ Hz, 2H), 7.28 (s, 1H), 7.17 (t, $J = 7.5$ Hz, 2H), 7.09 (d, $J = 5.2$ Hz, 2H), 7.01 (d, $J = 5.4$ Hz, 3H), 6.47 (d, $J = 20.6$ Hz, 2H), 3.92 (s, 1H), 3.06 (dd, $J = 16.7, 5.5$ Hz, 1H), 2.96 (d, $J = 16.5$ Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.6, 169.3, 151.7, 145.2, 143.0, 137.2, 136.6, 135.4, 133.4, 132.7, 131.7, 129.9, 129.7, 129.1, 128.33, 128.28, 128.0, 127.8, 110.9, 107.7, 38.8, 38.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{29}\text{H}_{24}\text{NO}_5\text{S}^+$: 498.1370; found: 498.1373.



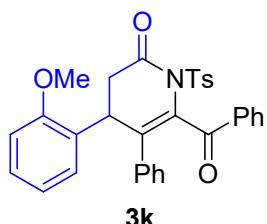
6-benzoyl-4-(naphthalen-2-yl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3h: white solid, ^1H NMR (400 MHz, CDCl_3) δ 8.07 (s, 1H), 7.89 (dd, $J = 15.5, 8.1$ Hz, 7H), 7.59 – 7.46 (m, 3H), 7.29 (t, $J = 6.8$ Hz, 1H), 7.19 (t, $J = 7.9$ Hz, 4H), 6.94 (s, 5H), 4.06 (d, $J = 3.9$ Hz, 1H), 3.23 (dd, $J = 16.4, 6.2$ Hz, 1H), 2.94 (d, $J = 16.5$ Hz, 1H), 2.35 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.5, 169.2, 145.3, 137.6, 136.8, 135.7, 135.2, 133.8, 133.7, 133.0, 132.7, 129.9, 129.6, 129.5, 129.1, 128.3, 128.23, 128.20, 127.9, 127.8, 127.7, 126.7, 126.6, 126.4, 125.5, 45.1, 41.5, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{35}\text{H}_{28}\text{NO}_4\text{S}^+$: 558.1734; found: 558.1738.



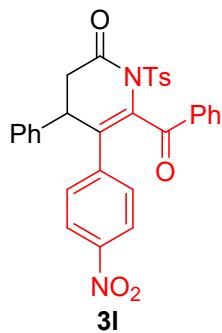
6-benzoyl-4-(3-chlorophenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3i: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 7.9$ Hz, 2H), 7.83 (d, $J = 7.6$ Hz, 2H), 7.47 (d, $J = 7.5$ Hz, 1H), 7.44 – 7.37 (m, 2H), 7.31 (dd, $J = 17.7, 10.0$ Hz, 4H), 7.20 (t, $J = 7.5$ Hz, 2H), 6.97 (d, $J = 4.3$ Hz, 3H), 6.88 (d, $J = 4.4$ Hz, 2H), 3.90 (d, $J = 4.1$ Hz, 1H), 3.16 (dd, $J = 16.5, 6.3$ Hz, 1H), 2.83 (d, $J = 16.5$ Hz, 1H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.3, 168.8, 145.5, 140.4, 137.2, 136.7, 135.3, 135.2, 134.1, 132.8, 132.2, 130.9, 129.8, 129.7, 129.2, 128.30, 128.29, 128.2, 127.9, 127.7, 125.6, 44.6, 41.5, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{31}\text{H}_{25}\text{ClNO}_4\text{S}^+$: 542.1187; found: 542.1194.



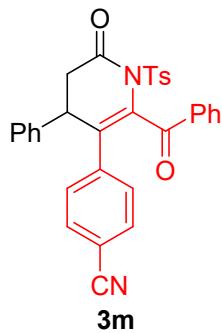
6-benzoyl-4-(2-chlorophenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3j: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 7.6$ Hz, 2H), 7.91 (d, $J = 7.6$ Hz, 1H), 7.86 (d, $J = 7.8$ Hz, 2H), 7.51 – 7.44 (m, 2H), 7.31 (dd, $J = 13.7, 7.6$ Hz, 4H), 7.20 (t, $J = 7.3$ Hz, 2H), 6.94 (d, $J = 5.2$ Hz, 3H), 6.84 (d, $J = 5.1$ Hz, 2H), 4.42 (d, $J = 5.9$ Hz, 1H), 3.13 (dd, $J = 16.7, 6.5$ Hz, 1H), 2.98 (d, $J = 16.6$ Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.3, 169.1, 145.5, 137.4, 136.6, 135.3, 135.0, 134.8, 133.9, 132.8, 131.9, 130.7, 129.8, 129.7, 129.5, 129.2, 128.7, 128.3, 128.0, 127.9, 127.5, 41.8, 39.6, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{31}\text{H}_{25}\text{ClNO}_4\text{S}^+$: 542.1187; found: 542.1191.



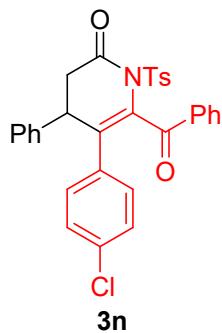
6-benzoyl-4-(2-methoxyphenyl)-5-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3k: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 7.6$ Hz, 2H), 7.87 (d, $J = 7.6$ Hz, 2H), 7.73 (d, $J = 7.3$ Hz, 1H), 7.37 – 7.26 (m, 4H), 7.19 (t, $J = 7.3$ Hz, 2H), 7.11 (t, $J = 7.4$ Hz, 1H), 6.96 – 6.81 (m, 6H), 4.32 (s, 1H), 3.75 (s, 3H), 3.11 – 2.92 (m, 2H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.5, 170.1, 156.9, 145.2, 137.7, 136.9, 135.6, 134.5, 133.1, 132.6, 129.9, 129.7, 129.3, 129.1, 128.2, 128.0, 127.94, 127.86, 127.7, 125.3, 121.1, 110.9, 55.2, 39.6, 39.3, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{32}\text{H}_{28}\text{NO}_5\text{S}^+$: 538.1683; found: 538.1685.



6-benzoyl-5-(4-nitrophenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3l: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 8.1$ Hz, 2H), 7.81 (dd, $J = 13.0, 8.2$ Hz, 4H), 7.43 (d, $J = 5.9$ Hz, 4H), 7.34 (dd, $J = 15.4, 6.2$ Hz, 4H), 7.22 (t, $J = 7.6$ Hz, 2H), 7.03 (d, $J = 8.5$ Hz, 2H), 3.91 (s, 1H), 3.18 (dd, $J = 16.5, 6.5$ Hz, 1H), 2.88 (dd, $J = 16.5, 3.0$ Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 190.6, 168.6, 147.0, 145.7, 144.2, 137.6, 136.4, 135.2, 134.9, 133.4, 129.8, 129.7, 129.3, 128.8, 128.4, 128.3, 127.5, 123.3, 44.6, 41.6, 21.8. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{31}\text{H}_{25}\text{N}_2\text{O}_6\text{S}^+$: 553.1428; found: 553.1430.

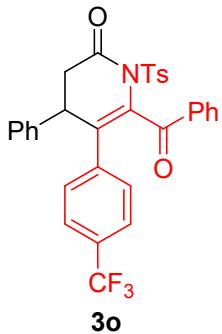


4-(2-benzoyl-6-oxo-4-phenyl-1-tosyl-1,4,5,6-tetrahydropyridin-3-yl)benzonitrile 3m: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 8.1$ Hz, 2H), 7.81 (d, $J = 7.7$ Hz, 2H), 7.47 – 7.40 (m, 4H), 7.34 (dd, $J = 18.3, 7.3$ Hz, 4H), 7.22 (t, $J = 7.3$ Hz, 4H), 6.96 (d, $J = 8.0$ Hz, 2H), 3.89 (d, $J = 2.7$ Hz, 1H), 3.16 (dd, $J = 16.5, 6.5$ Hz, 1H), 2.86 (dd, $J = 16.5, 2.8$ Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 190.7, 168.7, 145.7, 142.3, 137.7, 136.4, 135.04, 134.97, 133.4, 131.9, 130.4, 129.8, 129.7, 129.3, 128.6, 128.4, 128.2, 127.5, 118.1, 111.7, 44.6, 41.6, 21.8. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{32}\text{H}_{25}\text{N}_2\text{O}_4\text{S}^+$: 533.1530; found: 533.1532.

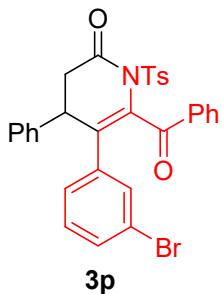


6-benzoyl-5-(4-chlorophenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3n: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 8.1$ Hz, 2H), 7.83 (d, $J = 7.7$ Hz, 2H), 7.45 (d, $J = 3.9$ Hz, 4H), 7.34 (dd, $J = 20.2, 8.0$ Hz, 4H), 7.22 (d, $J = 7.6$ Hz, 2H), 6.92 (d, $J = 8.2$ Hz, 2H), 6.80 (d, $J = 8.2$

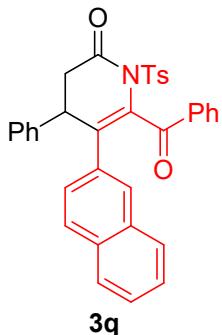
Hz, 2H), 3.87 (d, J = 3.6 Hz, 1H), 3.16 (dd, J = 16.5, 6.5 Hz, 1H), 2.85 (d, J = 16.5 Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.2, 169.0, 145.4, 138.0, 136.6, 136.0, 135.2, 134.2, 134.1, 133.0, 131.4, 129.8, 129.7, 129.6, 129.19, 129.17, 128.4, 128.2, 128.1, 127.6, 44.9, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{31}\text{H}_{25}\text{ClNO}_4\text{S}^+$: 542.1187; found: 542.1190.



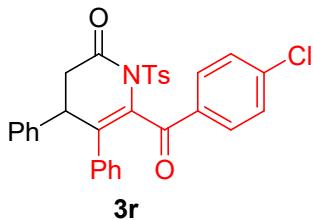
6-benzoyl-4-phenyl-1-tosyl-5-(4-(trifluoromethyl)phenyl)-3,4-dihydropyridin-2(1H)-one 3o: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, J = 8.2 Hz, 2H), 7.80 (d, J = 7.4 Hz, 2H), 7.45 (d, J = 4.1 Hz, 4H), 7.41 – 7.36 (m, 1H), 7.31 (t, J = 6.6 Hz, 3H), 7.20 (t, J = 7.4 Hz, 4H), 6.97 (d, J = 8.0 Hz, 2H), 3.89 (d, J = 3.7 Hz, 1H), 3.18 (dd, J = 16.5, 6.6 Hz, 1H), 2.87 (dd, J = 16.5, 2.5 Hz, 1H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.0, 168.9, 145.6, 141.2, 137.8, 136.6, 135.1, 134.8, 133.1, 131.1, 129.8, 129.73, 129.67, 129.2, 128.3, 128.2, 128.1, 127.6, 125.1 (q, J = 3.5 Hz), 123.6 (d, J = 270.0 Hz), 122.4 (d, J = 580.0 Hz), 44.7, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{32}\text{H}_{25}\text{F}_3\text{NO}_4\text{S}^+$: 576.1451; found: 576.1454.



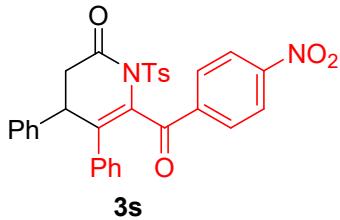
6-benzoyl-5-(3-bromophenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3p: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, J = 7.8 Hz, 2H), 7.83 (d, J = 7.6 Hz, 2H), 7.45 (d, J = 3.0 Hz, 4H), 7.38 – 7.29 (m, 4H), 7.23 (t, J = 7.3 Hz, 2H), 7.06 (d, J = 5.7 Hz, 1H), 7.01 (s, 1H), 6.84 – 6.74 (m, 2H), 3.94 – 3.84 (m, 1H), 3.14 (dd, J = 16.5, 6.3 Hz, 1H), 2.84 (d, J = 16.5 Hz, 1H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.2, 169.0, 145.5, 139.4, 137.9, 136.7, 135.2, 134.6, 132.9, 131.1, 131.01, 130.99, 129.7, 129.6, 129.2, 128.2, 128.0, 127.6, 126.2, 122.1, 44.7, 41.7, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{31}\text{H}_{25}\text{BrNO}_4\text{S}^+$: 586.0682; found: 586.0684.



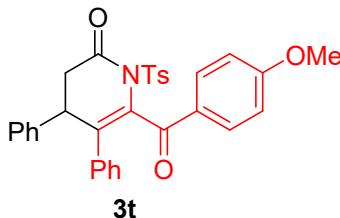
6-benzoyl-5-(naphthalen-2-yl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3q: white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.98 (d, *J* = 8.0 Hz, 2H), 7.81 (d, *J* = 7.5 Hz, 2H), 7.53 (d, *J* = 7.5 Hz, 4H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.41 – 7.28 (m, 7H), 7.05 (dt, *J* = 22.2, 7.1 Hz, 3H), 6.92 (d, *J* = 8.5 Hz, 1H), 3.99 (d, *J* = 3.5 Hz, 1H), 3.19 (dd, *J* = 16.5, 6.3 Hz, 1H), 2.87 (d, *J* = 16.5 Hz, 1H), 2.41 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 191.8, 169.3, 145.4, 138.3, 136.9, 135.4, 134.8, 134.1, 133.0, 132.5, 132.4, 129.7, 129.6, 129.4, 129.2, 128.3, 128.08, 128.05, 127.8, 127.7, 127.4, 126.6, 126.5, 124.6, 44.9, 41.8, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₅H₂₈NO₄S⁺: 558.1734; found: 558.1737.



6-(4-chlorobenzoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3r: white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.96 (d, *J* = 7.8 Hz, 2H), 7.76 (d, *J* = 7.8 Hz, 2H), 7.51 – 7.42 (m, 4H), 7.38 (d, *J* = 6.3 Hz, 1H), 7.32 (d, *J* = 7.9 Hz, 2H), 7.16 (d, *J* = 7.8 Hz, 2H), 6.99 (p, *J* = 6.8 Hz, 3H), 6.86 (d, *J* = 7.2 Hz, 2H), 3.93 (d, *J* = 5.1 Hz, 1H), 3.16 (dd, *J* = 16.5, 6.3 Hz, 1H), 2.85 (d, *J* = 16.4 Hz, 1H), 2.43 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.4, 169.1, 145.5, 138.9, 138.2, 137.3, 135.2, 133.4, 133.1, 131.1, 129.7, 129.6, 129.2, 128.4, 128.3, 128.2, 128.1, 127.7, 127.6, 45.0, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₅ClNO₄S⁺: 542.1191; found: 542.1191.

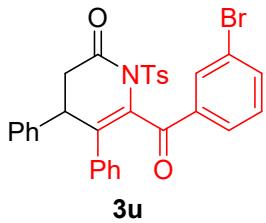


6-(4-nitrobenzoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3s: white solid, ¹H NMR (400 MHz, CDCl₃) δ 8.02 (d, *J* = 8.5 Hz, 2H), 7.96 (d, *J* = 6.1 Hz, 4H), 7.46 (d, *J* = 3.9 Hz, 4H), 7.41 – 7.36 (m, 1H), 7.35 (d, *J* = 8.1 Hz, 2H), 6.98 (t, *J* = 9.3 Hz, 3H), 6.84 (d, *J* = 6.8 Hz, 2H), 3.96 (d, *J* = 3.1 Hz, 1H), 3.17 (dd, *J* = 16.6, 6.4 Hz, 1H), 2.88 (d, *J* = 16.6 Hz, 1H), 2.45 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.1, 169.0, 149.5, 145.7, 141.5, 138.0, 136.9, 135.0, 134.2, 133.0, 130.6, 129.6, 129.3, 128.8, 128.5, 128.2, 127.8, 127.6, 123.0, 44.9, 41.7, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₅N₂O₆S⁺: 553.1428; found: 553.1430.

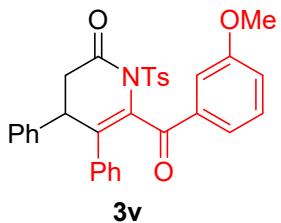


6-(4-methoxybenzoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3t: white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 8.1 Hz, 2H), 7.83 (d, *J* = 8.6 Hz, 2H), 7.50 (d, *J* = 7.3 Hz, 2H), 7.45 (t, *J* = 7.4 Hz, 2H), 7.36 (t, *J* = 7.1 Hz, 1H), 7.30 (d, *J* = 8.1 Hz, 2H), 6.96 (t, *J* = 7.1 Hz, 3H), 6.91 (d, *J* = 4.8 Hz, 2H), 6.68 (d, *J* = 8.5 Hz, 2H), 3.91 (d, *J* = 4.1 Hz, 1H), 3.73 (s, 3H), 3.16 (dd, *J* = 16.5, 6.5 Hz, 1H), 2.83 (d, *J* = 16.5 Hz, 1H), 2.42 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.0, 169.3,

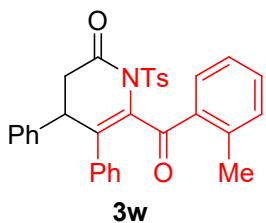
163.1, 145.2, 138.4, 137.9, 135.4, 133.8, 132.2, 132.0, 129.9, 129.7, 129.5, 129.1, 128.2, 128.1, 128.0, 127.7, 127.6, 113.3, 55.4, 45.0, 41.8, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₂₈NO₅S+: 538.1683; found: 538.1687.



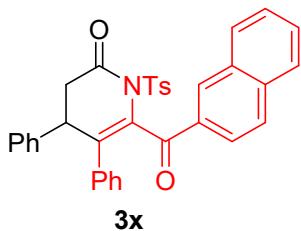
6-(3-bromobenzoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3u: white solid, ¹H NMR (400 MHz, CDCl₃) δ 8.00 – 7.92 (m, 3H), 7.74 (d, J = 7.7 Hz, 1H), 7.51 – 7.42 (m, 4H), 7.38 (d, J = 7.7 Hz, 2H), 7.32 (d, J = 8.1 Hz, 2H), 7.04 (t, J = 7.9 Hz, 1H), 6.98 (d, J = 4.4 Hz, 3H), 6.86 (d, J = 4.3 Hz, 2H), 3.95 (d, J = 3.1 Hz, 1H), 3.15 (dd, J = 16.5, 6.4 Hz, 1H), 2.86 (dd, J = 16.5, 2.2 Hz, 1H), 2.43 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.2, 169.1, 145.5, 138.4, 138.1, 137.3, 135.4, 135.2, 133.6, 133.3, 132.7, 129.7, 129.6, 129.4, 129.2, 128.42, 128.37, 128.3, 128.1, 127.7, 127.6, 122.0, 44.9, 41.7, 21.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₅BrNO₄S+: 586.0682; found: 586.0685.



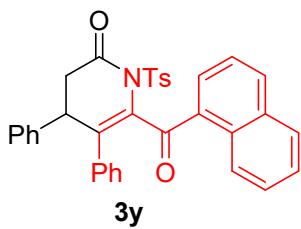
6-(3-methoxybenzoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3v: white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.96 (d, J = 7.8 Hz, 2H), 7.50 (d, J = 7.5 Hz, 2H), 7.45 (t, J = 7.9 Hz, 3H), 7.39 – 7.34 (m, 2H), 7.31 (d, J = 8.0 Hz, 2H), 7.09 (t, J = 7.9 Hz, 1H), 6.97 (d, J = 6.0 Hz, 3H), 6.89 (d, J = 6.6 Hz, 2H), 6.85 (d, J = 8.2 Hz, 1H), 3.93 (d, J = 5.7 Hz, 1H), 3.74 (s, 3H), 3.18 (dd, J = 16.5, 6.4 Hz, 1H), 2.86 (d, J = 16.5 Hz, 1H), 2.43 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 191.2, 169.2, 159.0, 145.3, 138.3, 138.0, 137.7, 135.3, 133.7, 132.8, 129.7, 129.5, 129.2, 128.9, 128.2, 128.0, 127.7, 127.6, 122.8, 119.7, 113.7, 55.3, 45.0, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₂₈NO₅S+: 538.1683; found: 538.1685.



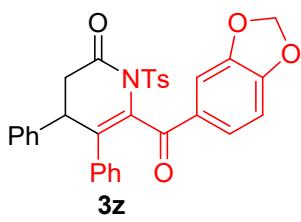
6-(2-methylbenzoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3w: white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, J = 8.0 Hz, 2H), 7.88 (d, J = 7.7 Hz, 1H), 7.41 (d, J = 12.6 Hz, 4H), 7.34 (d, J = 3.8 Hz, 1H), 7.29 (d, J = 8.1 Hz, 2H), 7.13 (t, J = 7.4 Hz, 1H), 7.05 (t, J = 7.5 Hz, 1H), 6.95 (d, J = 7.3 Hz, 4H), 6.84 (s, 2H), 3.86 (d, J = 3.9 Hz, 1H), 3.15 (dd, J = 16.5, 6.5 Hz, 1H), 2.91 – 2.79 (m, 1H), 2.46 (s, 3H), 2.42 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 192.1, 169.5, 145.2, 139.9, 138.0, 137.8, 136.0, 135.5, 134.4, 131.9, 131.7, 131.3, 129.8, 129.4, 129.1, 128.0, 127.9, 127.7, 127.4, 125.0, 45.1, 41.5, 21.7, 21.0. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₂₈NO₄S+: 522.1734; found: 522.1738.



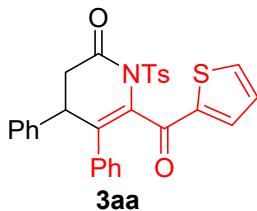
6-(2-naphthoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3x: white solid, ^1H NMR (400 MHz, CDCl_3) δ 8.39 (s, 1H), 7.98 (d, $J = 7.9$ Hz, 2H), 7.89 (d, $J = 8.6$ Hz, 1H), 7.78 (d, $J = 8.0$ Hz, 1H), 7.69 (d, $J = 8.0$ Hz, 1H), 7.62 (d, $J = 8.6$ Hz, 1H), 7.55 (d, $J = 7.4$ Hz, 2H), 7.48 (t, $J = 7.5$ Hz, 3H), 7.43 (d, $J = 7.8$ Hz, 1H), 7.39 (d, $J = 8.2$ Hz, 1H), 7.29 (d, $J = 8.0$ Hz, 2H), 6.91 (d, $J = 7.6$ Hz, 2H), 6.81 (dt, $J = 13.6, 7.1$ Hz, 3H), 3.96 (d, $J = 4.1$ Hz, 1H), 3.20 (dd, $J = 16.5, 6.4$ Hz, 1H), 2.88 (d, $J = 16.5$ Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.4, 169.3, 145.3, 138.4, 137.7, 135.4, 135.3, 134.1, 133.8, 132.9, 132.1, 132.0, 129.7, 129.6, 129.2, 128.3, 128.2, 128.1, 127.8, 127.7, 127.6, 126.4, 125.2, 45.0, 41.8, 21.7. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{35}\text{H}_{28}\text{NO}_4\text{S}^+$: 558.1734; found: 558.1736.



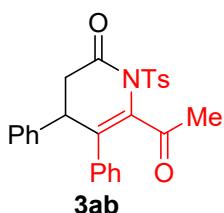
6-(1-naphthoyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3y: white solid, ^1H NMR (400 MHz, CDCl_3) δ 8.71 (d, $J = 8.6$ Hz, 1H), 8.16 (d, $J = 7.2$ Hz, 1H), 8.00 (d, $J = 7.9$ Hz, 2H), 7.72 (dd, $J = 14.8, 8.2$ Hz, 2H), 7.57 (t, $J = 7.7$ Hz, 1H), 7.52 – 7.41 (m, 5H), 7.36 (t, $J = 6.9$ Hz, 1H), 7.29 (t, $J = 6.4$ Hz, 3H), 6.79 (s, 2H), 6.64 (s, 3H), 3.91 (d, $J = 3.1$ Hz, 1H), 3.20 (dd, $J = 16.6, 6.4$ Hz, 1H), 2.91 (d, $J = 16.5$ Hz, 1H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 192.1, 169.6, 145.3, 138.0, 137.7, 136.2, 135.8, 135.5, 133.8, 133.4, 133.2, 131.8, 130.9, 129.9, 129.4, 129.1, 128.2, 128.0, 127.8, 127.74, 127.71, 127.3, 126.1, 125.5, 123.9, 45.2, 41.7, 21.7. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{35}\text{H}_{28}\text{NO}_4\text{S}^+$: 558.1734; found: 558.1736.



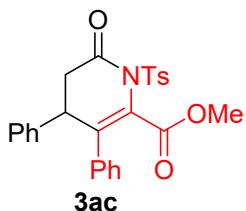
6-(benzo[d][1,3]dioxole-5-carbonyl)-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3z: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 7.9$ Hz, 2H), 7.46 (dd, $J = 18.3, 7.9$ Hz, 5H), 7.33 (dd, $J = 21.7, 7.3$ Hz, 4H), 7.01 (d, $J = 4.8$ Hz, 3H), 6.91 (s, 2H), 6.58 (d, $J = 8.1$ Hz, 1H), 5.90 (d, $J = 8.0$ Hz, 2H), 3.91 (d, $J = 4.3$ Hz, 1H), 3.15 (dd, $J = 16.4, 6.4$ Hz, 1H), 2.82 (d, $J = 16.4$ Hz, 1H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 189.50, 169.20, 151.4, 147.4, 145.3, 138.4, 137.9, 135.4, 133.6, 132.3, 131.5, 129.7, 129.5, 129.1, 128.2, 128.1, 128.0, 127.6, 109.2, 107.6, 101.7, 45.0, 41.7, 21.7. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{32}\text{H}_{26}\text{NO}_6\text{S}^+$: 552.1475; found: 552.1476.



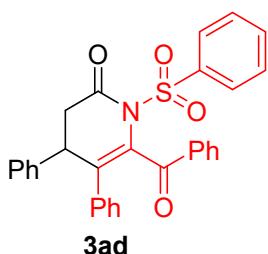
4,5-diphenyl-6-(thiophene-2-carbonyl)-1-tosyl-3,4-dihydropyridin-2(1H)-one 3aa: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 8.0$ Hz, 2H), 7.55 (d, $J = 3.1$ Hz, 1H), 7.51 (d, $J = 7.2$ Hz, 2H), 7.44 (dd, $J = 12.7, 5.8$ Hz, 3H), 7.37 (d, $J = 7.0$ Hz, 1H), 7.30 (d, $J = 8.0$ Hz, 2H), 7.03 (d, $J = 6.7$ Hz, 5H), 6.81 (t, $J = 3.9$ Hz, 1H), 3.96 (d, $J = 4.8$ Hz, 1H), 3.16 (dd, $J = 16.5, 6.3$ Hz, 1H), 2.85 (d, $J = 16.5$ Hz, 1H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 183.3, 169.1, 145.3, 143.7, 138.12, 138.05, 135.3, 134.7, 133.9, 133.8, 132.8, 129.6, 129.5, 129.2, 128.4, 128.2, 128.0, 127.63, 127.57, 44.9, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{29}\text{H}_{24}\text{NO}_4\text{S}_2^+$: 514.1141; found: 514.1147.



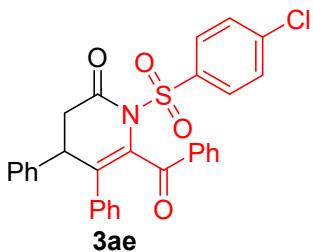
6-acetyl-4,5-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 3ab: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 8.0$ Hz, 2H), 7.34 (dt, $J = 10.8, 8.6$ Hz, 10H), 7.09 (d, $J = 6.9$ Hz, 2H), 3.86 (d, $J = 3.2$ Hz, 1H), 3.06 (dd, $J = 16.5, 6.3$ Hz, 1H), 2.81 (dd, $J = 16.5, 1.9$ Hz, 1H), 2.42 (s, 3H), 2.05 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.6, 169.2, 145.4, 137.7, 137.6, 136.1, 135.4, 131.5, 129.6, 129.3, 129.2, 128.93, 128.90, 127.9, 127.64, 127.62, 44.5, 41.6, 30.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{26}\text{H}_{24}\text{NO}_4\text{S}^+$: 446.1421; found: 446.1422.



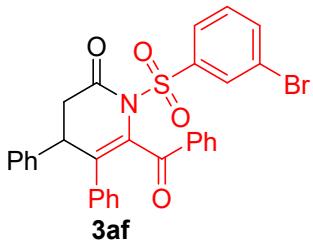
methyl 6-oxo-3,4-diphenyl-1-tosyl-1,4,5,6-tetrahydropyridine-2-carboxylate 3ac: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 8.0$ Hz, 2H), 7.38 – 7.26 (m, 10H), 7.13 (s, 2H), 3.87 (d, $J = 5.5$ Hz, 1H), 3.60 (s, 3H), 3.10 (dd, $J = 16.4, 6.3$ Hz, 1H), 2.83 (d, $J = 16.4$ Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 168.7, 164.4, 145.3, 138.1, 137.5, 135.4, 134.2, 129.7, 129.2, 129.1, 128.8, 128.3, 127.8, 127.5, 127.1, 52.4, 44.3, 41.1, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{26}\text{H}_{24}\text{NO}_5\text{S}^+$: 462.1370; found: 462.1372.



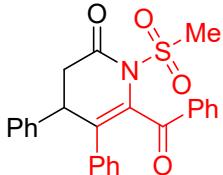
6-benzoyl-4,5-diphenyl-1-(phenylsulfonyl)-3,4-dihydropyridin-2(1*H*)-one 3ad: white solid, ¹H NMR (400 MHz, CDCl₃) δ 8.08 (d, *J* = 7.9 Hz, 2H), 7.85 (d, *J* = 7.7 Hz, 2H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.53 – 7.42 (m, 6H), 7.35 (t, *J* = 7.0 Hz, 1H), 7.28 (t, *J* = 7.2 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 2H), 6.98 – 6.84 (m, 5H), 3.92 (d, *J* = 4.8 Hz, 1H), 3.16 (dd, *J* = 16.5, 6.4 Hz, 1H), 2.85 (d, *J* = 16.5 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 191.6, 169.3, 138.4, 138.2, 137.6, 136.8, 134.2, 133.7, 133.1, 132.8, 129.9, 129.63, 129.55, 128.5, 128.20, 128.18, 128.1, 127.9, 127.8, 127.7, 45.0, 41.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₀H₂₄NO₄S⁺: 494.1421; found: 494.1425.



6-benzoyl-1-((4-chlorophenyl)sulfonyl)-4,5-diphenyl-3,4-dihydropyridin-2(1*H*)-one 3ae: white solid, ¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 8.5 Hz, 2H), 7.83 (d, *J* = 7.6 Hz, 2H), 7.53 – 7.44 (m, 6H), 7.38 (t, *J* = 6.8 Hz, 1H), 7.30 (t, *J* = 7.3 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 2H), 6.96 (d, *J* = 4.9 Hz, 3H), 6.89 (d, *J* = 4.1 Hz, 2H), 3.95 (d, *J* = 3.7 Hz, 1H), 3.18 (dd, *J* = 16.6, 6.4 Hz, 1H), 2.89 (dd, *J* = 16.6, 2.1 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 191.6, 169.4, 140.9, 138.1, 137.4, 136.7, 136.6, 133.6, 133.5, 132.8, 131.2, 129.8, 129.6, 128.8, 128.3, 128.2, 128.1, 127.9, 127.7, 127.6, 45.0, 41.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₀H₂₃ClNO₄S⁺: 528.1031; found: 528.1033.



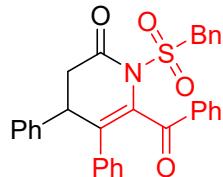
6-benzoyl-1-((3-bromophenyl)sulfonyl)-4,5-diphenyl-3,4-dihydropyridin-2(1*H*)-one 3af white solid, ¹H NMR (400 MHz, CDCl₃) δ 8.25 (s, 1H), 8.01 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 7.8 Hz, 2H), 7.73 (d, *J* = 7.9 Hz, 1H), 7.48 (q, *J* = 7.8 Hz, 4H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.30 (t, *J* = 7.2 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 2H), 7.00 – 6.86 (m, 5H), 3.96 (d, *J* = 4.3 Hz, 1H), 3.19 (dd, *J* = 16.6, 6.3 Hz, 1H), 2.94 – 2.82 (m, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 191.5, 169.4, 140.0, 138.0, 137.4, 137.2, 136.6, 133.6, 133.4, 132.9, 132.4, 130.0, 129.8, 129.6, 128.3, 128.24, 128.20, 128.18, 128.0, 127.7, 127.6, 122.3, 45.0, 41.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₀H₂₃BrNO₄S⁺: 572.0526; found: 572.0527.



3ag

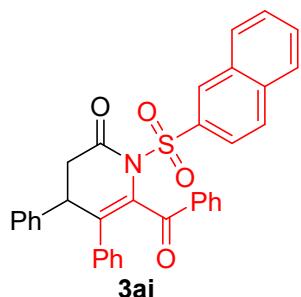
6-benzoyl-1-(methylsulfonyl)-4,5-diphenyl-3,4-dihydropyridin-2(1*H*)-one 3ag: white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.73 (d, *J* = 7.6 Hz, 2H), 7.52 – 7.42 (m, 4H), 7.35 (t, *J* = 7.0 Hz, 1H), 7.25

(t, $J = 7.2$ Hz, 1H), 7.13 (t, $J = 7.4$ Hz, 2H), 6.94 (d, $J = 5.3$ Hz, 3H), 6.88 (s, 2H), 4.00 (s, 1H), 3.39 (s, 3H), 3.25 (dd, $J = 17.3, 6.0$ Hz, 1H), 2.99 (d, $J = 17.2$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 192.0, 170.6, 137.9, 137.2, 136.5, 134.5, 133.4, 132.9, 129.7, 129.6, 128.3, 128.2, 128.1, 127.9, 127.8, 127.6, 44.9, 43.1, 41.9. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{25}\text{H}_{22}\text{NO}_4\text{S}^+$: 432.1264; found: 432.1268.



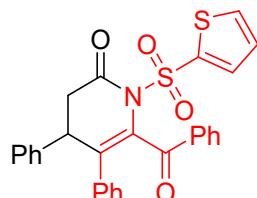
3ah

6-benzoyl-1-(benzylsulfonyl)-4,5-diphenyl-3,4-dihydropyridin-2(1H)-one 3ah: white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.74 (d, $J = 7.6$ Hz, 2H), 7.48 (d, $J = 7.4$ Hz, 2H), 7.42 (t, $J = 7.6$ Hz, 2H), 7.38 (d, $J = 6.6$ Hz, 2H), 7.33 (d, $J = 9.9$ Hz, 4H), 7.25 (s, 1H), 7.13 (t, $J = 7.5$ Hz, 2H), 6.94 (d, $J = 4.9$ Hz, 3H), 6.88 (s, 2H), 5.03 (d, $J = 13.2$ Hz, 1H), 4.77 (d, $J = 13.1$ Hz, 1H), 4.01 (s, 1H), 3.27 (dd, $J = 17.1, 6.1$ Hz, 1H), 3.04 (d, $J = 17.1$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 192.0, 170.9, 137.9, 137.3, 136.7, 134.9, 133.6, 132.8, 131.6, 129.8, 129.5, 129.2, 128.8, 128.3, 128.2, 128.1, 127.9, 127.7, 126.2, 62.3, 44.9, 42.1. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{31}\text{H}_{26}\text{NO}_4\text{S}^+$: 508.1577; found: 508.1578.



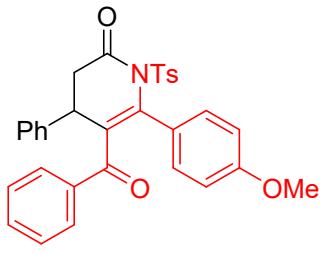
3ai

6-benzoyl-1-(naphthalen-2-ylsulfonyl)-4,5-diphenyl-3,4-dihydropyridin-2(1H)-one 3ai: white solid, ^1H NMR (400 MHz, CDCl_3) δ 8.65 (s, 1H), 8.07 (d, $J = 8.8$ Hz, 1H), 7.99 (d, $J = 8.0$ Hz, 1H), 7.90 (dd, $J = 17.4, 9.4$ Hz, 4H), 7.63 (t, $J = 7.3$ Hz, 1H), 7.57 (t, $J = 7.4$ Hz, 1H), 7.49 (d, $J = 7.4$ Hz, 2H), 7.41 (t, $J = 7.5$ Hz, 2H), 7.31 (dd, $J = 16.1, 7.5$ Hz, 2H), 7.21 (t, $J = 7.6$ Hz, 2H), 6.93 (dd, $J = 16.8, 4.3$ Hz, 5H), 3.91 (d, $J = 4.1$ Hz, 1H), 3.16 (dd, $J = 16.5, 6.4$ Hz, 1H), 2.84 (dd, $J = 16.4, 2.0$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.5, 169.3, 138.2, 137.6, 136.8, 135.6, 135.2, 133.7, 133.1, 132.8, 132.1, 131.8, 129.93, 129.89, 129.5, 128.6, 128.21, 128.19, 128.1, 128.0, 127.9, 127.8, 127.6, 127.3, 123.9, 45.0, 41.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{34}\text{H}_{26}\text{NO}_4\text{S}^+$: 544.1577; found: 544.1580.



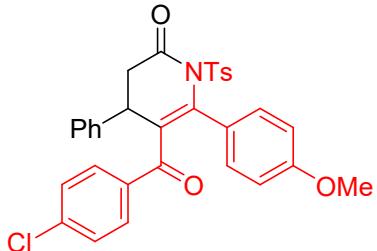
3aj

6-benzoyl-4,5-diphenyl-1-(thiophen-2-ylsulfonyl)-3,4-dihydropyridin-2(1*H*)-one 3aj, white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.85 (d, *J* = 3.3 Hz, 1H), 7.81 (d, *J* = 7.7 Hz, 2H), 7.69 (d, *J* = 4.9 Hz, 1H), 7.45 (dt, *J* = 14.9, 7.4 Hz, 4H), 7.34 (t, *J* = 7.0 Hz, 1H), 7.28 (t, *J* = 7.3 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 2H), 7.07 (t, *J* = 4.1 Hz, 1H), 6.99 – 6.92 (m, 3H), 6.89 (d, *J* = 4.7 Hz, 2H), 3.95 (d, *J* = 5.4 Hz, 1H), 3.22 (dd, *J* = 16.6, 6.3 Hz, 1H), 2.91 (d, *J* = 16.6 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 191.0, 169.4, 138.3, 138.1, 137.4, 136.7, 136.5, 135.1, 133.6, 133.4, 132.8, 129.8, 129.5, 128.2, 128.0, 127.9, 127.7, 127.6, 126.9, 44.9, 41.8. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₂₈H₂₂NO₄S₂: 500.0985; found: 500.0987.



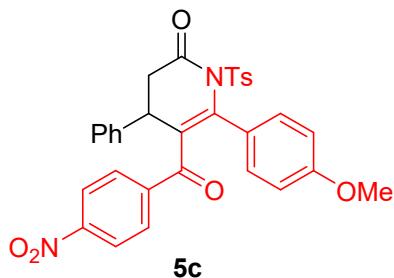
5a

5-benzoyl-6-(4-methoxyphenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1*H*)-one 5a, white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, *J* = 7.9 Hz, 2H), 7.85 (d, *J* = 7.5 Hz, 2H), 7.53 – 7.42 (m, 4H), 7.36 (d, *J* = 7.0 Hz, 1H), 7.31 (t, *J* = 7.9 Hz, 3H), 7.21 (t, *J* = 7.4 Hz, 2H), 6.82 (d, *J* = 8.0 Hz, 2H), 6.47 (d, *J* = 8.1 Hz, 2H), 3.90 (d, *J* = 5.2 Hz, 1H), 3.60 (s, 3H), 3.16 (dd, *J* = 16.5, 6.3 Hz, 1H), 2.85 (d, *J* = 16.4 Hz, 1H), 2.42 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 191.8, 169.3, 159.3, 145.2, 138.4, 136.9, 135.4, 133.2, 132.8, 132.7, 129.9, 129.8, 129.7, 129.5, 129.2, 129.1, 128.0, 127.9, 127.6, 113.6, 55.2, 45.1, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₂₈NO₅S: 538.1683; found: 538.1684.

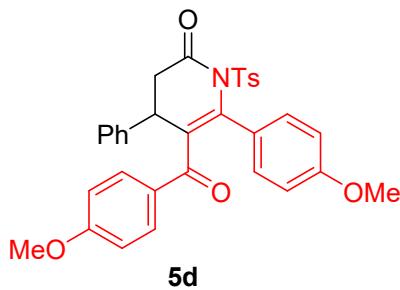


5b

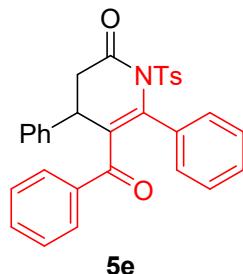
5-(4-chlorobenzoyl)-6-(4-methoxyphenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1*H*)-one 5b, white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, *J* = 7.7 Hz, 2H), 7.78 (d, *J* = 7.7 Hz, 2H), 7.50 – 7.42 (m, 4H), 7.36 (d, *J* = 5.8 Hz, 1H), 7.30 (d, *J* = 7.8 Hz, 2H), 7.18 (d, *J* = 7.8 Hz, 2H), 6.80 (d, *J* = 7.8 Hz, 2H), 6.51 (d, *J* = 7.8 Hz, 2H), 3.93 – 3.88 (m, 1H), 3.63 (s, 3H), 3.15 (dd, *J* = 16.5, 6.3 Hz, 1H), 2.84 (d, *J* = 16.5 Hz, 1H), 2.42 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 190.7, 169.2, 159.5, 145.4, 138.9, 138.3, 135.30, 135.25, 133.0, 132.8, 131.0, 129.6, 129.5, 129.2, 128.3, 128.0, 127.6, 113.8, 55.2, 45.1, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₂₇ClNO₅S: 572.1293; found: 572.1297.



6-(4-methoxyphenyl)-5-(4-nitrobenzoyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 5c, white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.94 (d, $J = 7.7$ Hz, 2H), 7.78 (d, $J = 7.7$ Hz, 2H), 7.50 – 7.42 (m, 4H), 7.36 (d, $J = 5.8$ Hz, 1H), 7.30 (d, $J = 7.8$ Hz, 2H), 7.18 (d, $J = 7.8$ Hz, 2H), 6.80 (d, $J = 7.8$ Hz, 2H), 6.51 (d, $J = 7.8$ Hz, 2H), 3.93 – 3.88 (m, 1H), 3.63 (s, 3H), 3.15 (dd, $J = 16.5, 6.3$ Hz, 1H), 2.84 (d, $J = 16.5$ Hz, 1H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 190.7, 169.2, 159.5, 145.4, 138.9, 138.3, 135.30, 135.25, 133.0, 132.8, 131.0, 129.6, 129.5, 129.2, 128.3, 128.0, 127.6, 113.8, 55.2, 45.1, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{32}\text{H}_{27}\text{N}_2\text{O}_7\text{S}^+$: 583.1533; found: 583.1534.

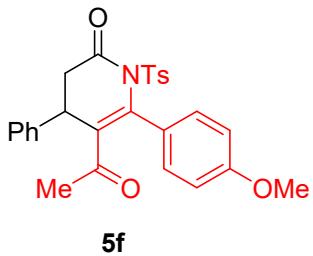


5-(4-methoxybenzoyl)-6-(4-methoxyphenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 5d, white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.9$ Hz, 2H), 7.85 (d, $J = 8.3$ Hz, 2H), 7.49 (d, $J = 7.4$ Hz, 2H), 7.44 (t, $J = 7.4$ Hz, 2H), 7.35 (t, $J = 7.4$ Hz, 1H), 7.28 (d, $J = 7.9$ Hz, 2H), 6.86 (d, $J = 8.2$ Hz, 2H), 6.70 (d, $J = 8.3$ Hz, 2H), 6.50 (d, $J = 8.2$ Hz, 2H), 3.88 (d, $J = 4.9$ Hz, 1H), 3.74 (s, 3H), 3.61 (s, 3H), 3.15 (dd, $J = 16.5, 6.4$ Hz, 1H), 2.83 (d, $J = 16.4$ Hz, 1H), 2.41 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 190.2, 169.4, 163.1, 159.2, 145.2, 138.5, 135.5, 133.2, 132.1, 132.0, 130.2, 129.9, 129.6, 129.5, 129.1, 127.9, 127.6, 113.6, 113.3, 55.4, 55.2, 45.1, 41.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for $\text{C}_{33}\text{H}_{30}\text{NO}_6\text{S}^+$: 568.1788; found: 568.1791.

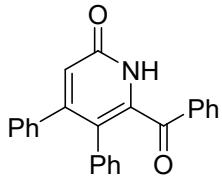


5-benzoyl-4,6-diphenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 5e, white solid, ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, $J = 7.8$ Hz, 2H), 7.84 (d, $J = 7.7$ Hz, 2H), 7.53 – 7.43 (m, 4H), 7.36 (t, $J = 7.3$ Hz, 1H), 7.33 – 7.26 (m, 3H), 7.19 (t, $J = 7.5$ Hz, 2H), 6.95 (d, $J = 4.8$ Hz, 3H), 6.89 (s, 2H), 3.93 (d, $J = 5.4$ Hz, 1H), 3.17 (dd, $J = 16.4, 6.4$ Hz, 1H), 2.85 (d, $J = 16.4$ Hz, 1H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 191.5, 169.2, 145.3, 138.3, 137.6, 136.8, 135.3, 133.8, 132.9, 132.7, 129.9, 129.7,

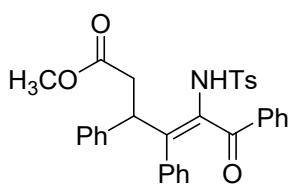
129.5, 129.2, 128.2, 128.1, 128.0, 127.9, 127.74, 127.65, 45.0, 41.8, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₁H₂₆NO₄S⁺: 508.1577; found: 508.1579.



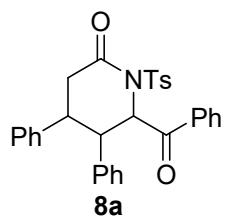
5-acetyl-6-(4-methoxyphenyl)-4-phenyl-1-tosyl-3,4-dihydropyridin-2(1H)-one 5f, white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, *J* = 7.9 Hz, 2H), 7.40 – 7.27 (m, 7H), 7.02 (d, *J* = 8.0 Hz, 2H), 6.83 (d, *J* = 8.0 Hz, 2H), 3.84 (s, 1H), 3.79 (s, 3H), 3.05 (dd, *J* = 16.5, 6.2 Hz, 1H), 2.81 (d, *J* = 16.5 Hz, 1H), 2.42 (s, 3H), 2.09 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 198.9, 169.3, 160.1, 145.3, 137.8, 135.6, 135.4, 131.5, 129.6, 129.5, 129.3, 129.2, 129.1, 127.9, 127.6, 114.3, 55.3, 44.6, 41.6, 30.7, 21.7. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₂₇H₂₆NO₅S⁺: 476.1526; found: 476.1528.



6-benzoyl-4,5-diphenylpyridin-2(1H)-one 6a, white solid, ¹H NMR (400 MHz, CDCl₃) δ 7.57 (d, *J* = 7.7 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.20 (dq, *J* = 14.1, 7.1 Hz, 5H), 7.01 (d, *J* = 7.5 Hz, 2H), 6.94 – 6.86 (m, 3H), 6.84 – 6.76 (m, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 191.9, 162.6, 155.0, 141.2, 137.7, 135.4, 134.2, 133.5, 131.3, 129.6, 128.9, 128.21, 128.15, 128.0, 127.8, 127.5, 122.6, 121.4. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₂₄H₁₈NO₂⁺: 352.1332; found: 352.1328.

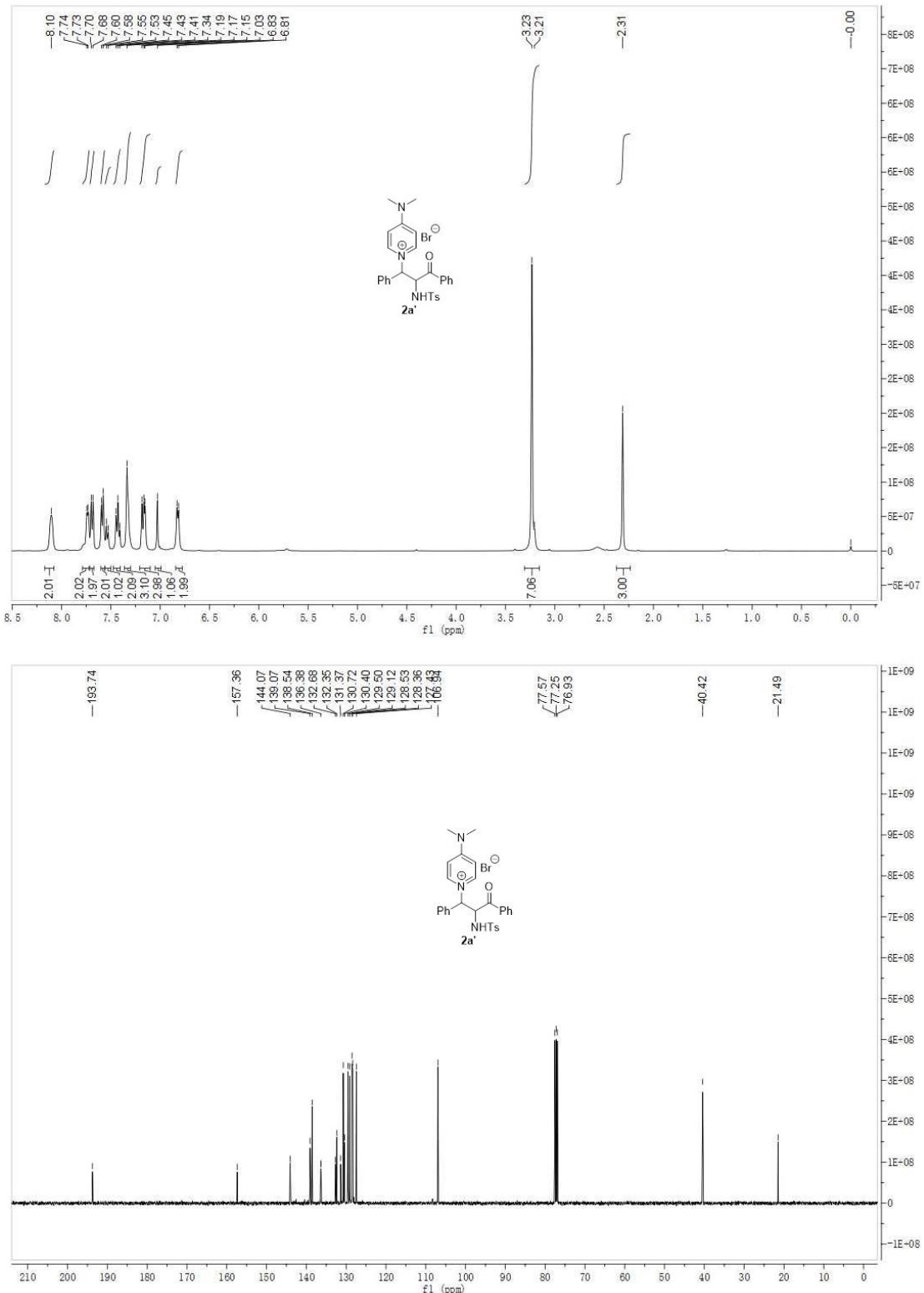


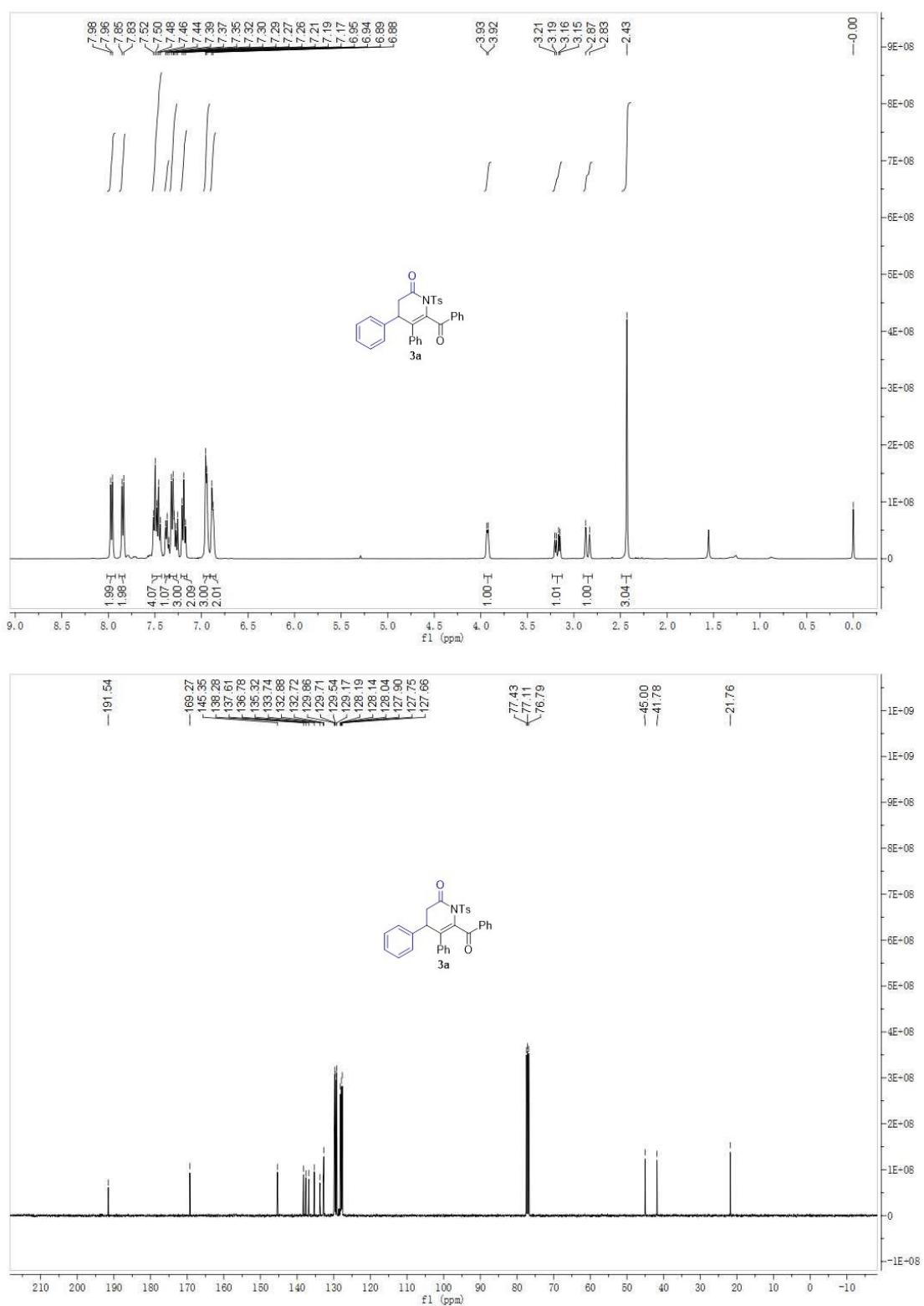
methyl(*E*)-5-((4-methylphenyl)sulfonamido)-6-oxo-3,4,6-triphenylhex-4-enoate 7a, white solid, ¹H NMR (400 MHz, CDCl₃) δ 8.44 (s, 1H), 7.83 (d, *J* = 7.9 Hz, 2H), 7.61 (d, *J* = 7.6 Hz, 2H), 7.32 (dd, *J* = 14.6, 7.6 Hz, 3H), 7.21 (t, *J* = 7.2 Hz, 3H), 7.14 (t, *J* = 7.4 Hz, 2H), 6.97 (t, *J* = 7.3 Hz, 1H), 6.82 (t, *J* = 7.5 Hz, 2H), 6.55 (d, *J* = 7.5 Hz, 2H), 6.16 (d, *J* = 6.9 Hz, 2H), 4.77 (t, *J* = 7.5 Hz, 1H), 3.85 (s, 3H), 2.76 (d, *J* = 7.4 Hz, 2H), 2.46 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 192.8, 174.4, 143.7, 141.7, 138.3, 137.5, 137.4, 134.0, 132.2, 131.0, 130.5, 129.8, 129.2, 128.5, 128.2, 127.8, 127.7, 127.6, 127.3, 127.1, 52.7, 41.2, 35.4, 21.6. HRMS (FTMS-APCI): [M + H]⁺ calcd for C₃₂H₃₀NO₅S⁺: 540.1839; found: 540.1833.

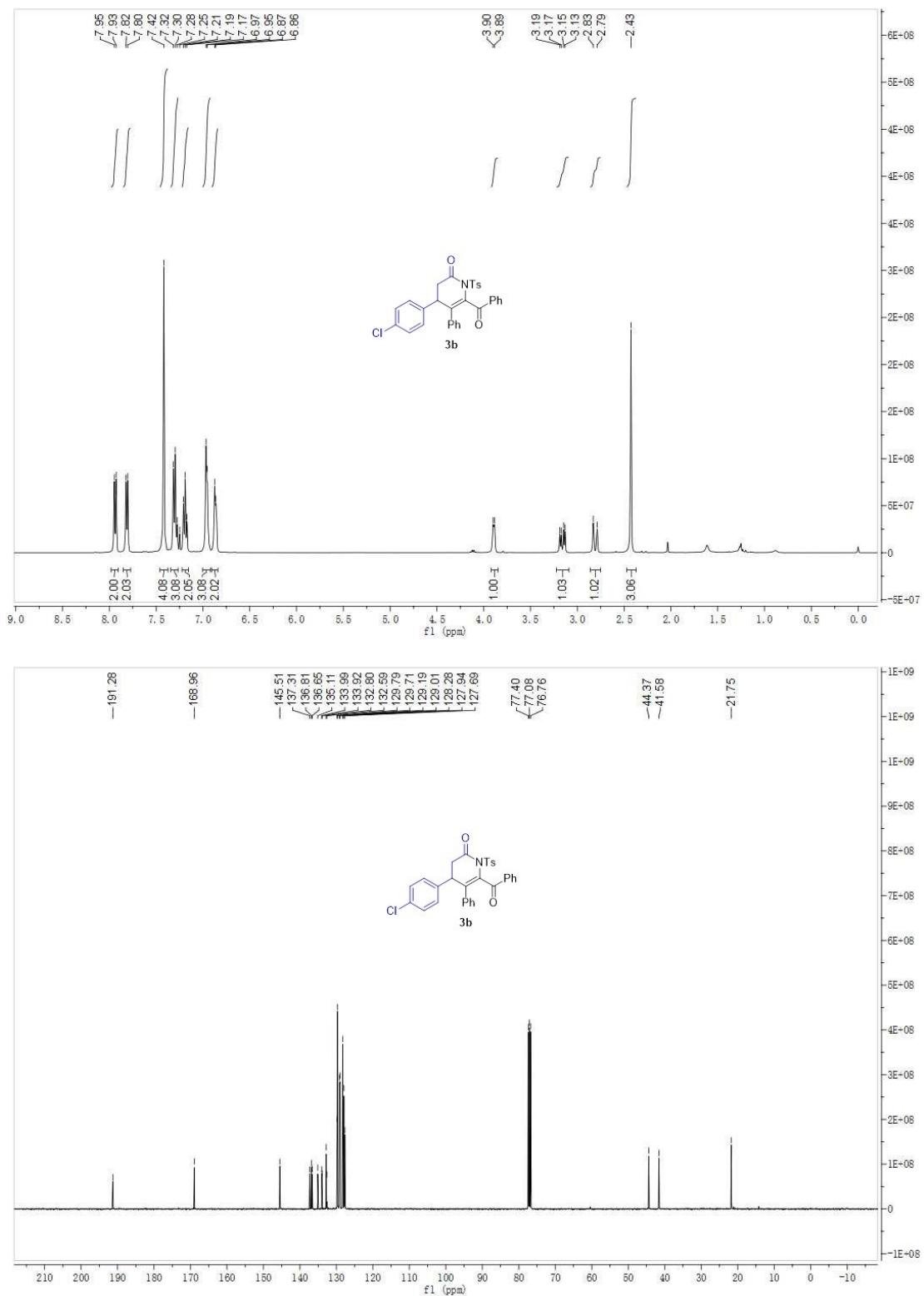


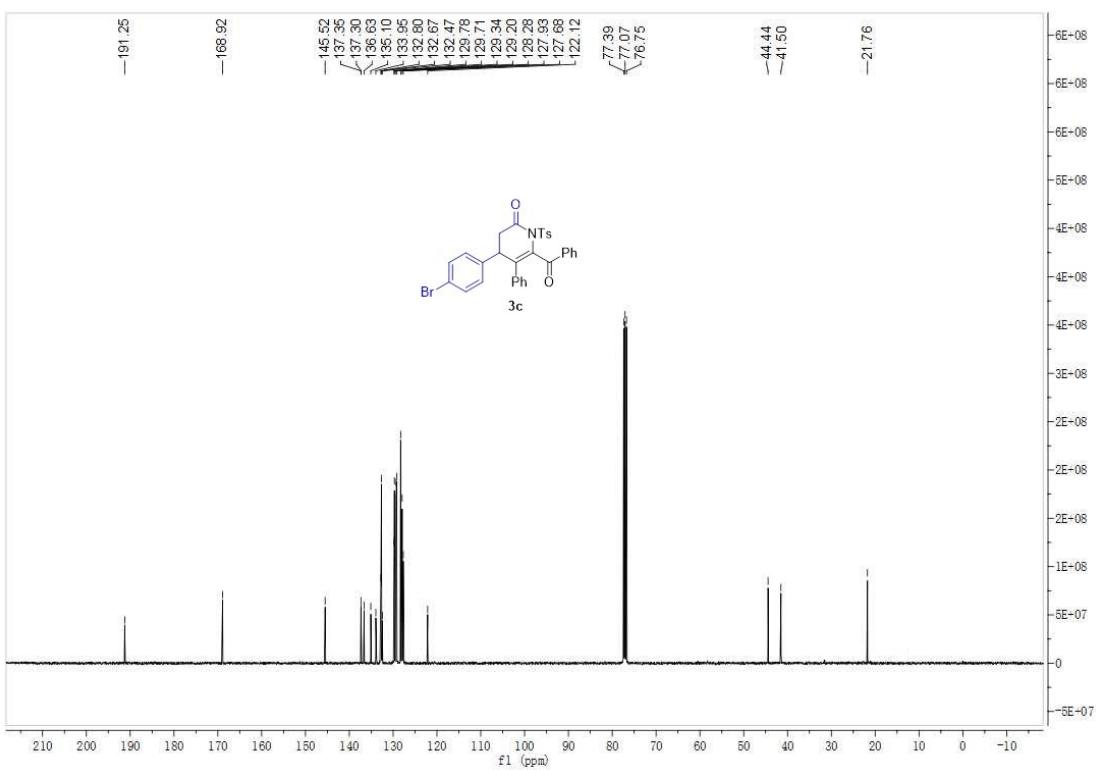
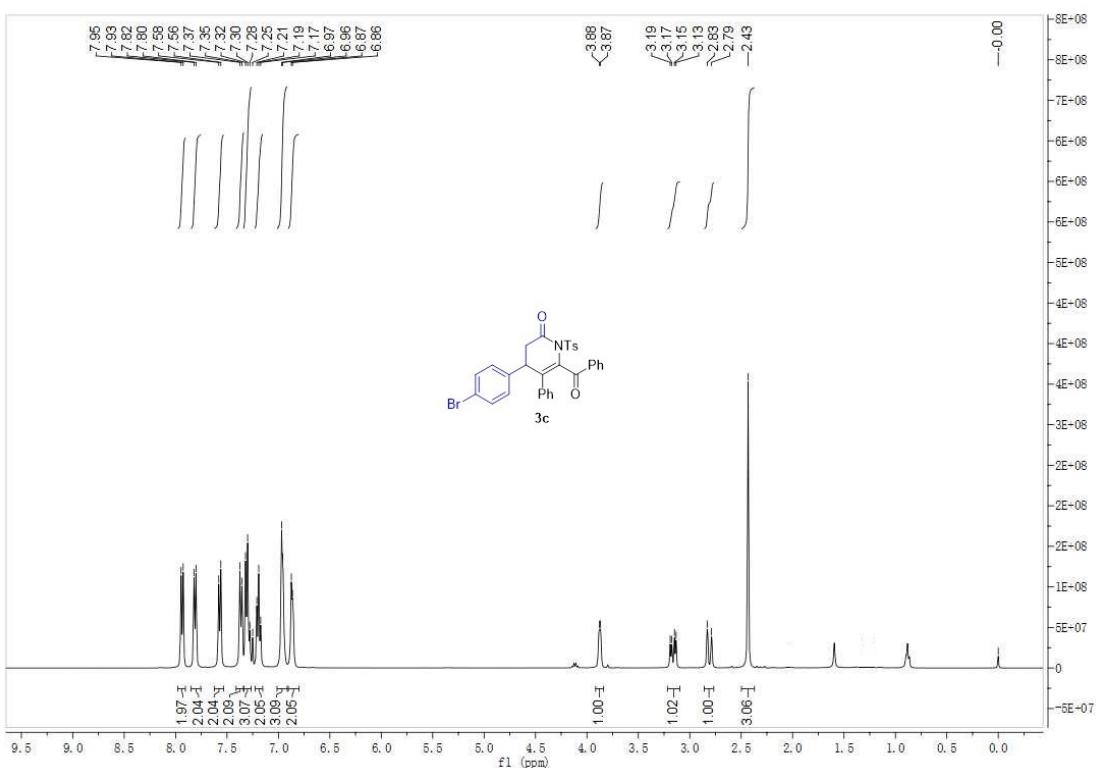
6-benzoyl-4,5-diphenyl-1-tosylpiperidin-2-one 8a, white solid, ^1H NMR (400 MHz, CDCl_3) δ 8.51 (s, 1H), 7.86 (d, $J = 7.6$ Hz, 2H), 7.65 (d, $J = 7.7$ Hz, 2H), 7.35 (t, $J = 7.9$ Hz, 3H), 7.24 (s, 2H), 7.17 (t, $J = 7.0$ Hz, 2H), 7.00 (t, $J = 7.2$ Hz, 1H), 6.85 (t, $J = 7.3$ Hz, 2H), 6.57 (d, $J = 7.4$ Hz, 2H), 6.20 (d, $J = 6.5$ Hz, 2H), 4.78 (t, $J = 7.4$ Hz, 1H), 4.44 – 4.29 (m, 2H), 2.78 (d, $J = 7.1$ Hz, 2H), 2.50 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 192.8, 173.9, 143.6, 141.7, 138.4, 137.5, 134.0, 132.2, 131.0, 130.5, 129.7, 129.2, 128.5, 128.1, 127.8, 127.6, 127.3, 127.0, 61.7, 41.2, 35.7, 21.6. HRMS (FTMS-APCI): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{31}\text{H}_{28}\text{NO}_4\text{S}^+$: 510.1734; found: 510.1731.

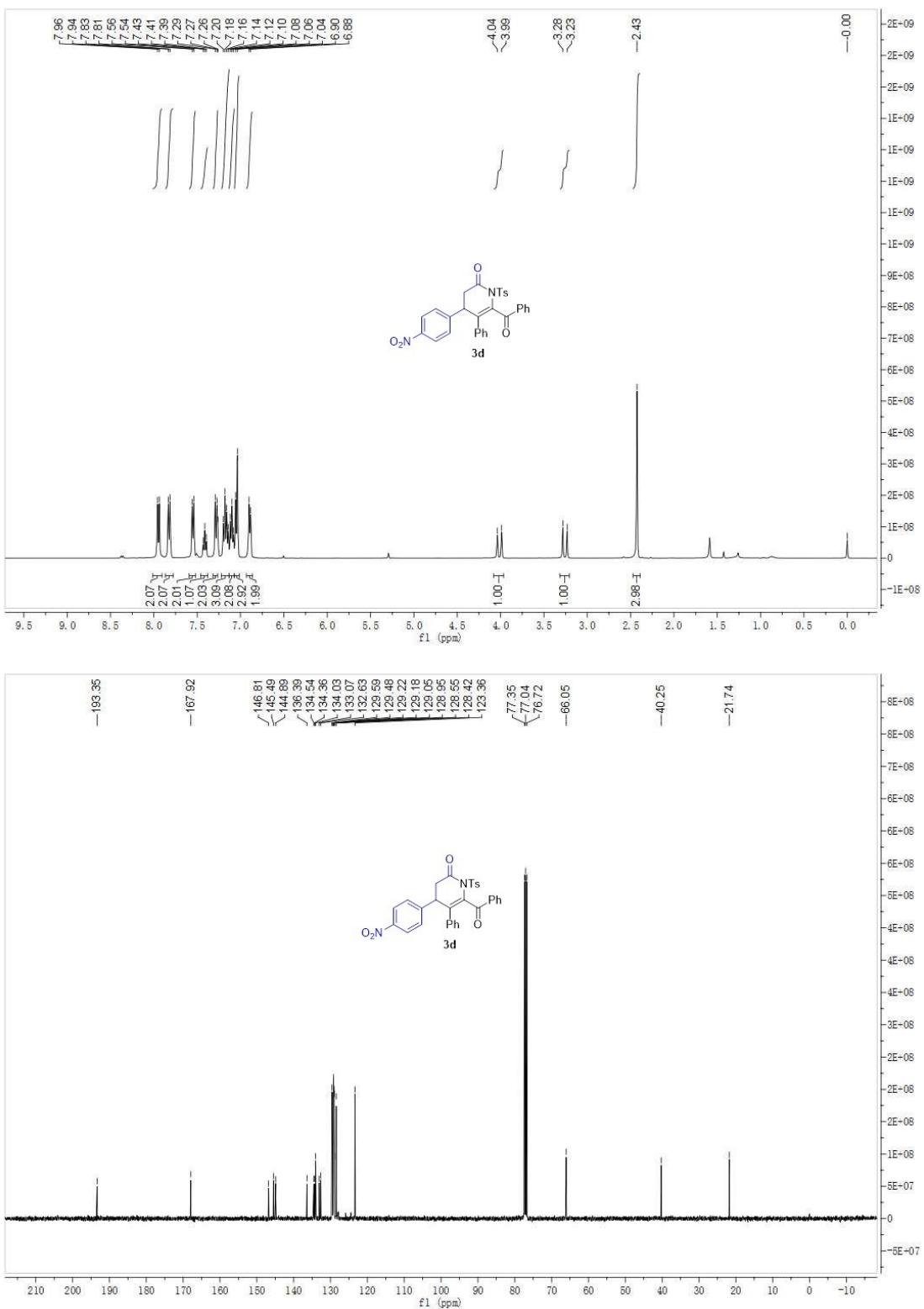
6. The NMR of 2a', 3, 5, 6a, 7a, 8a

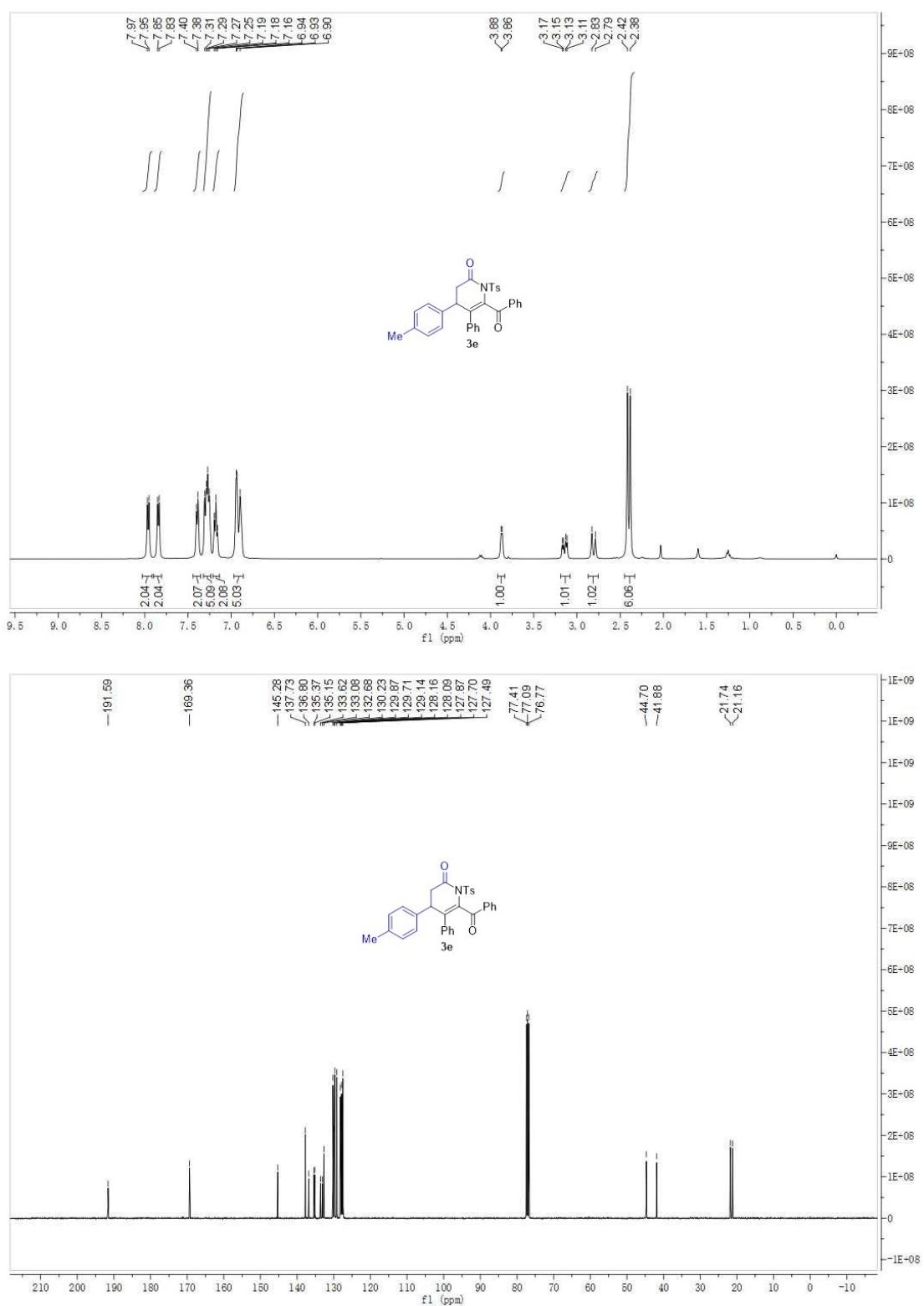


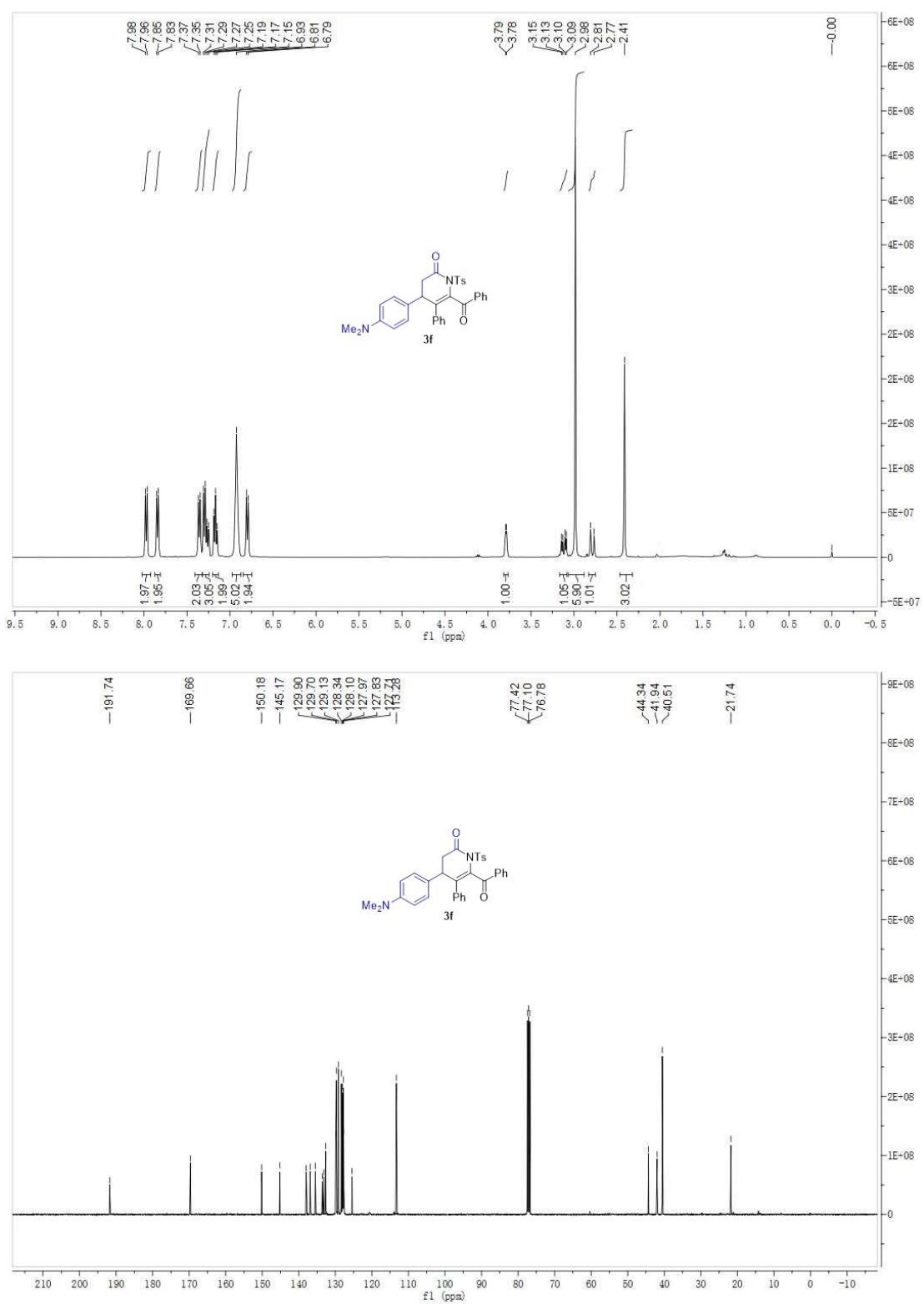


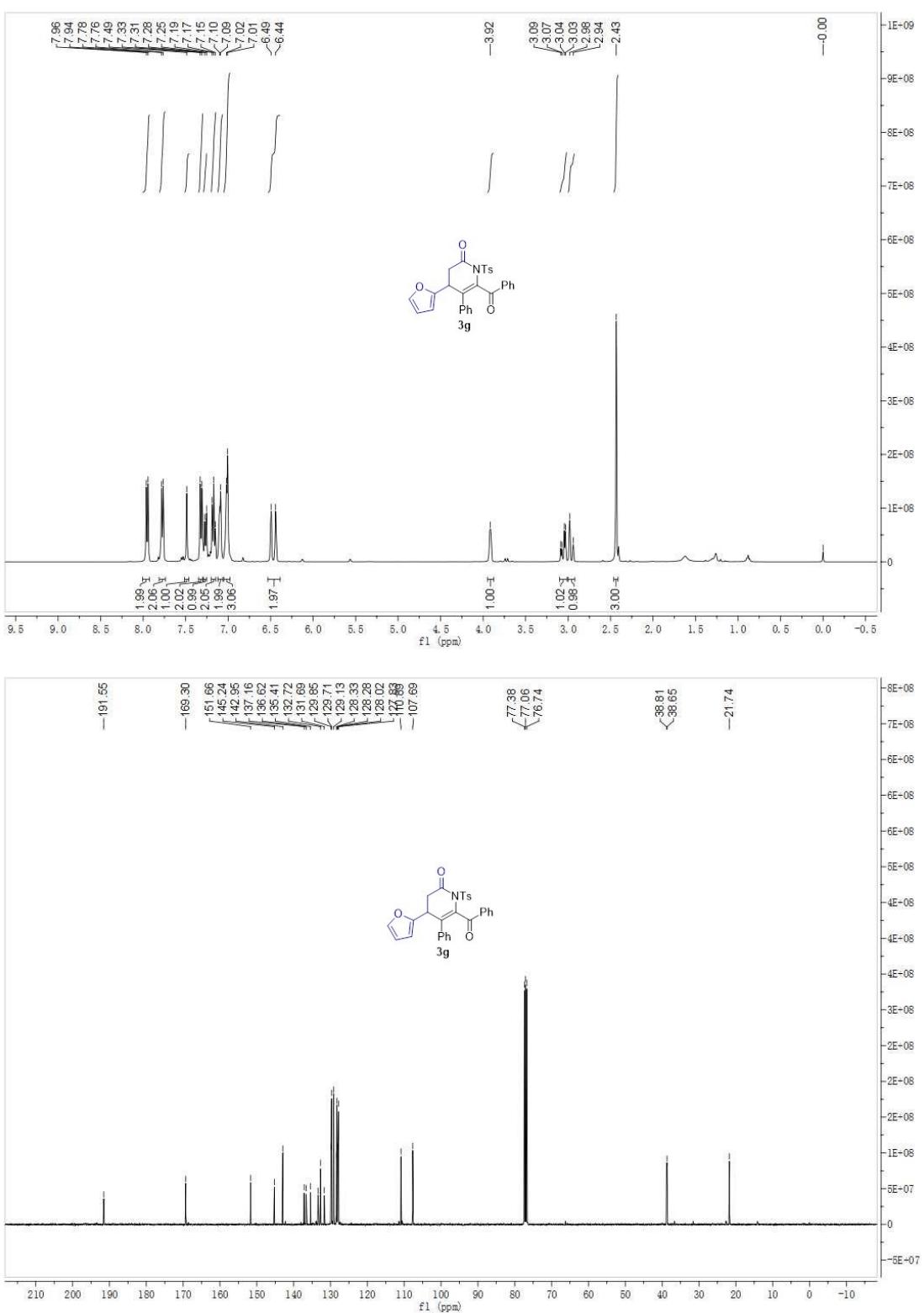


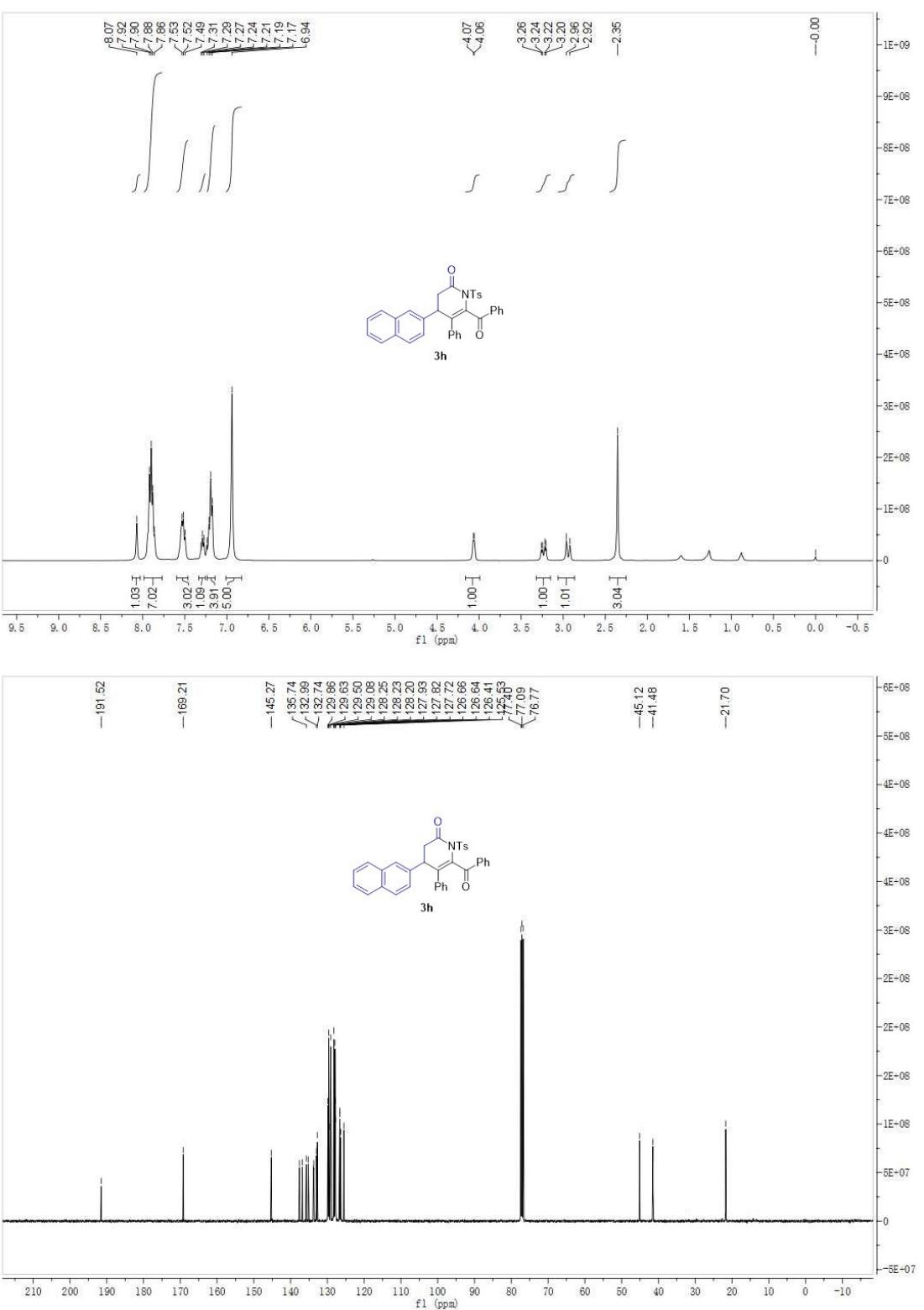


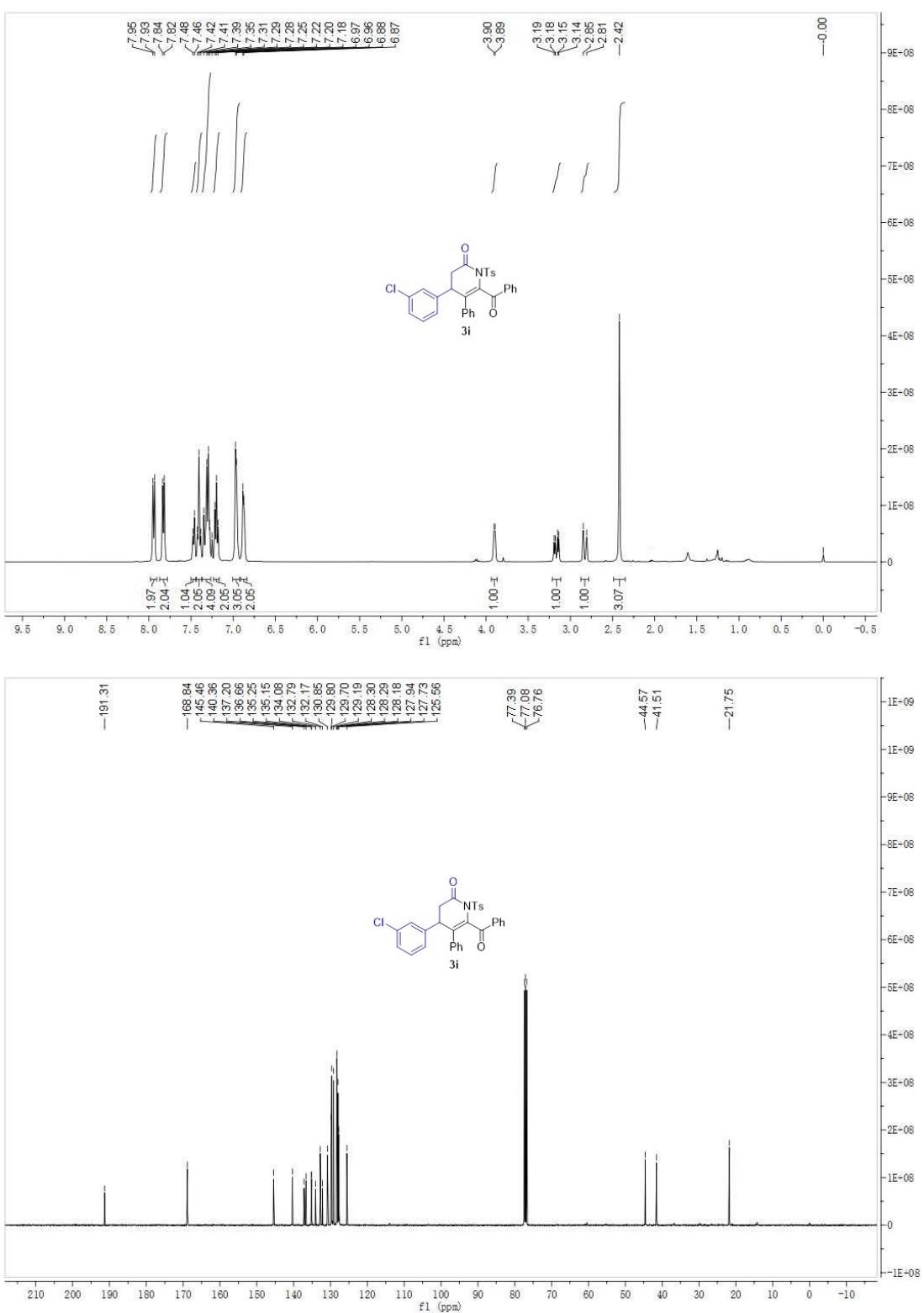


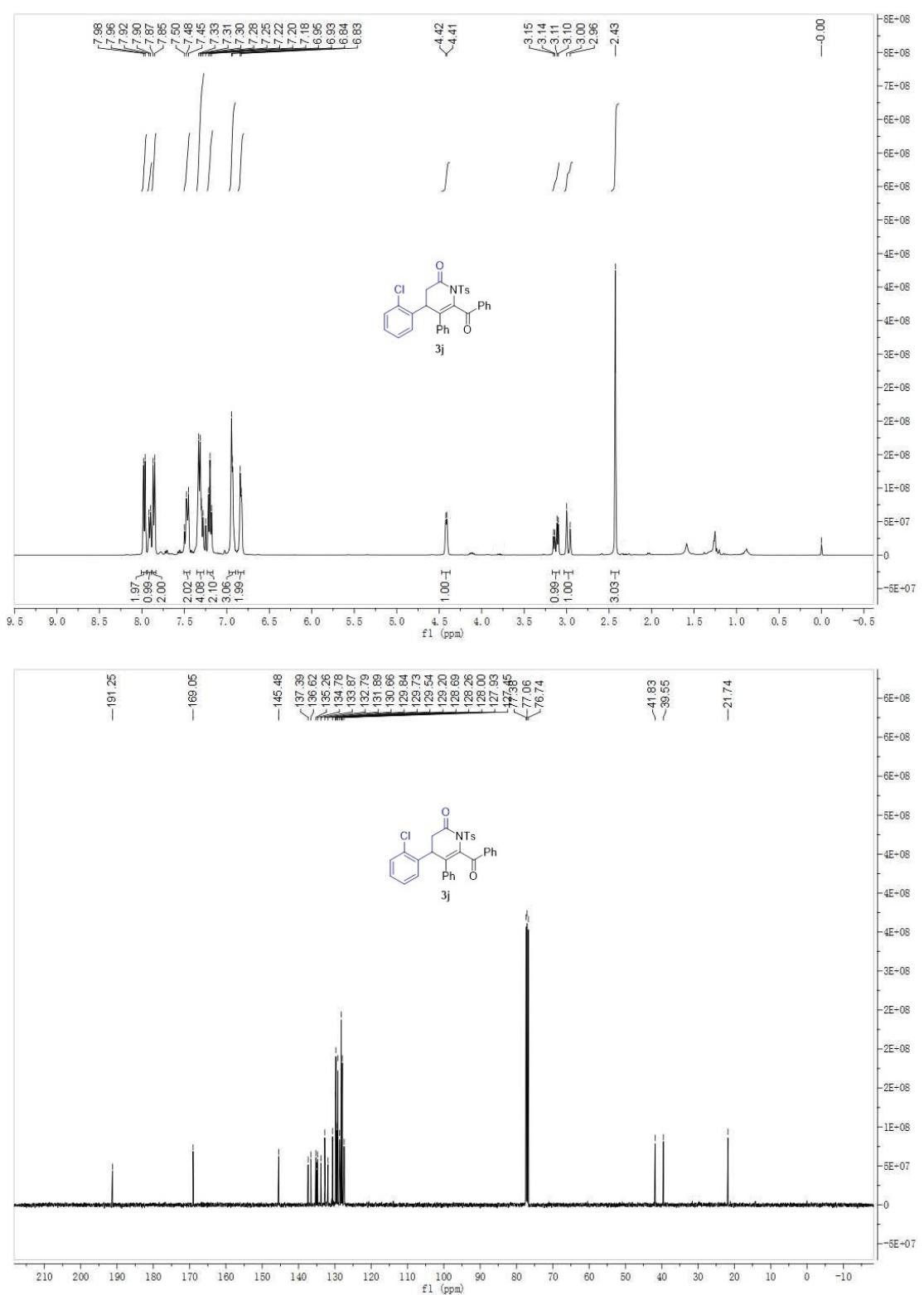


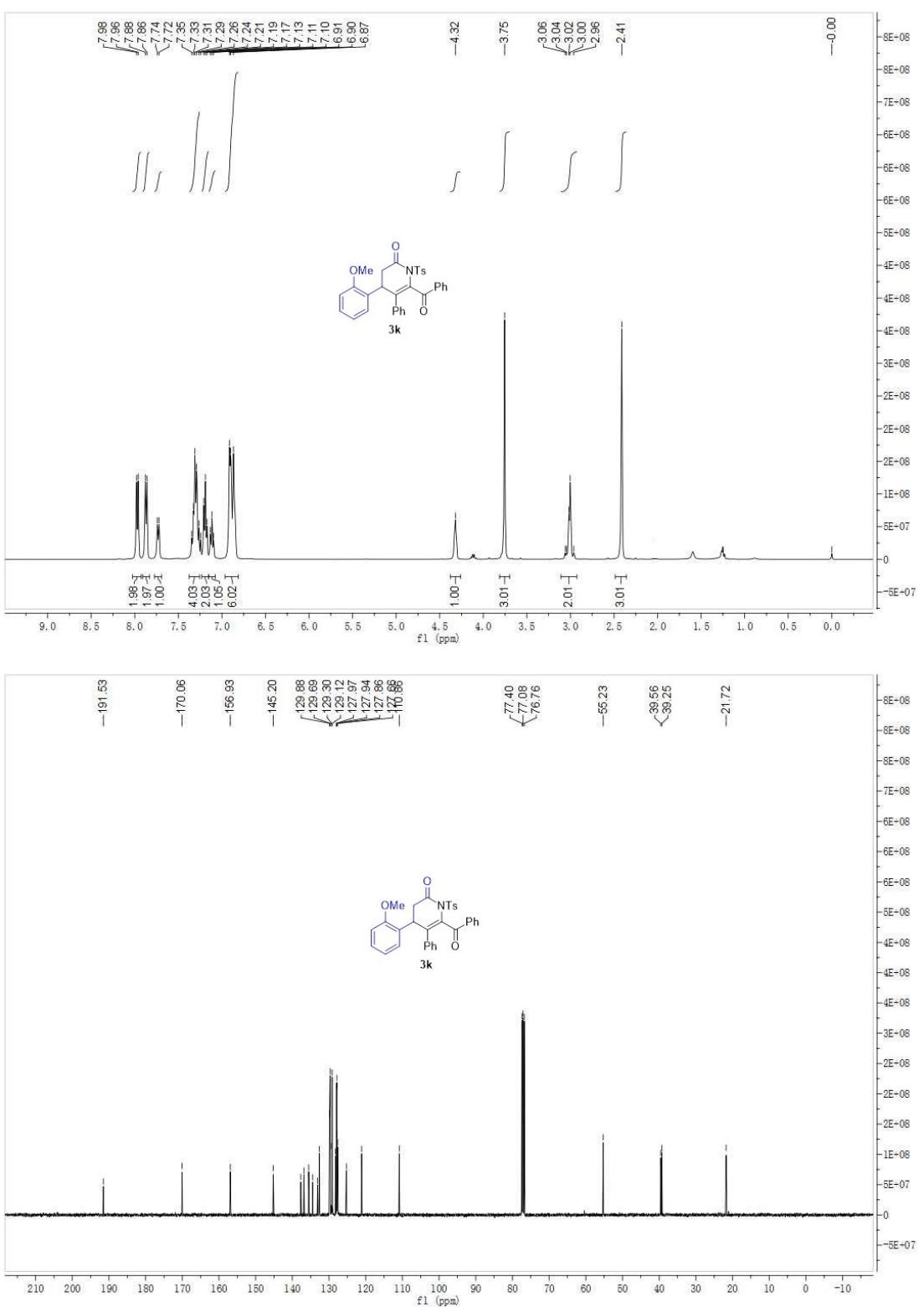


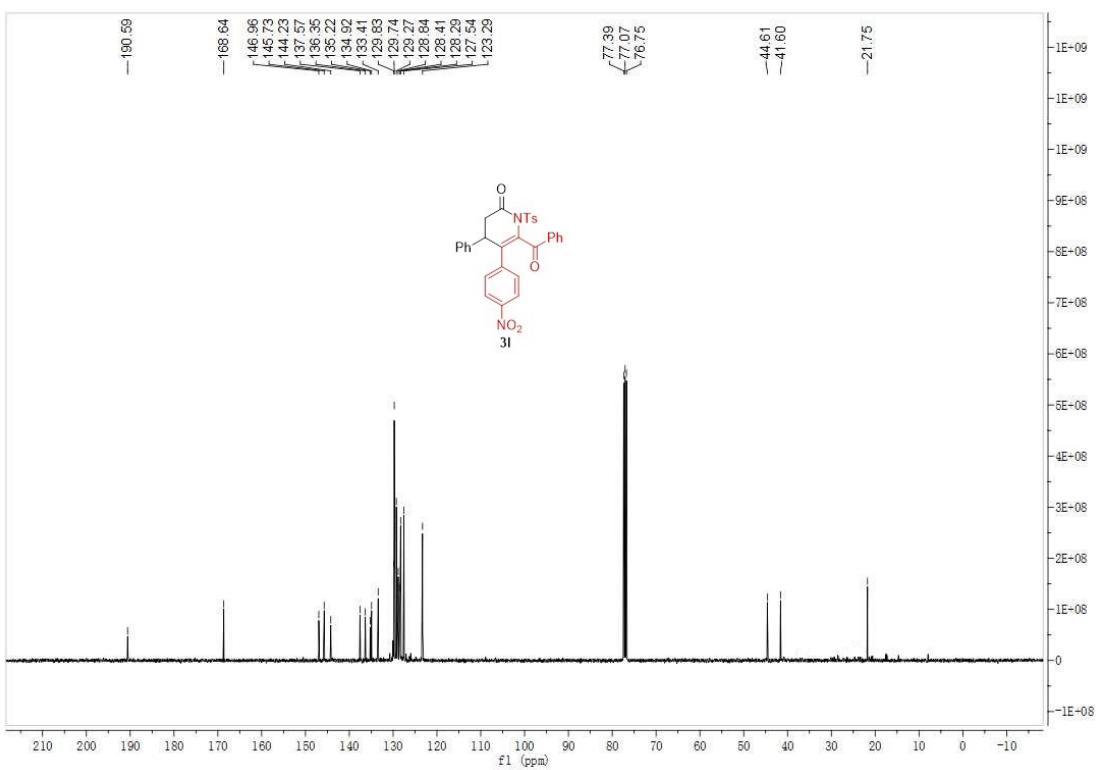
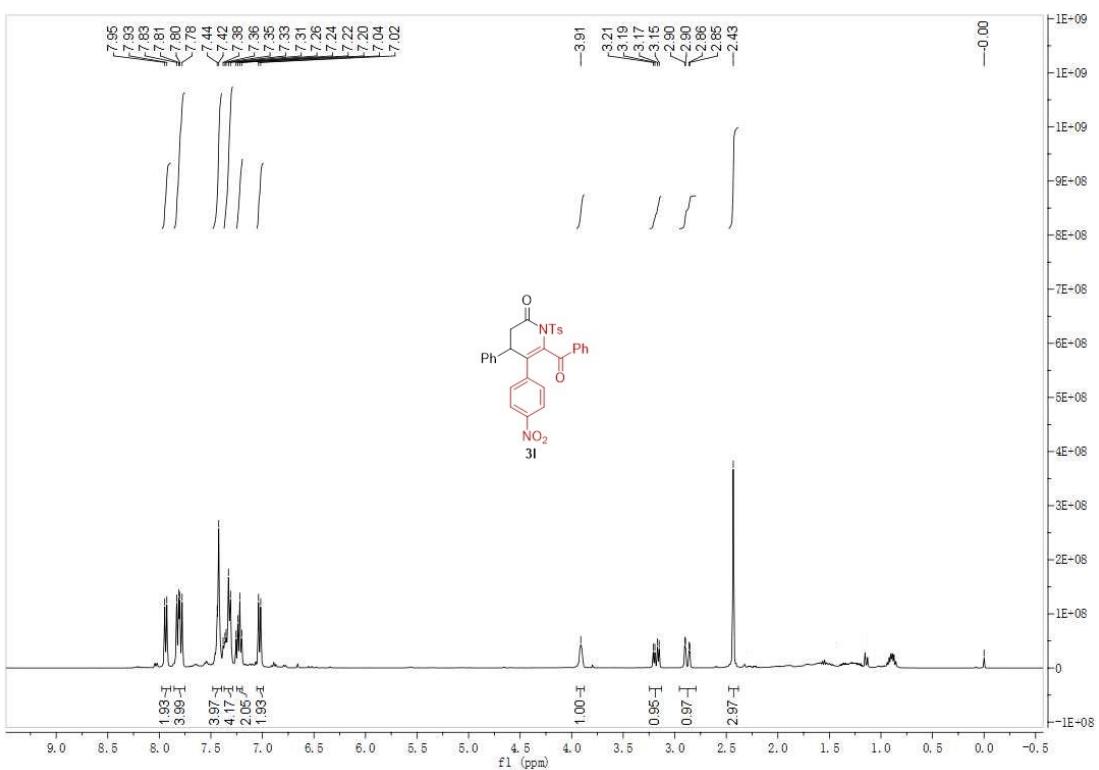


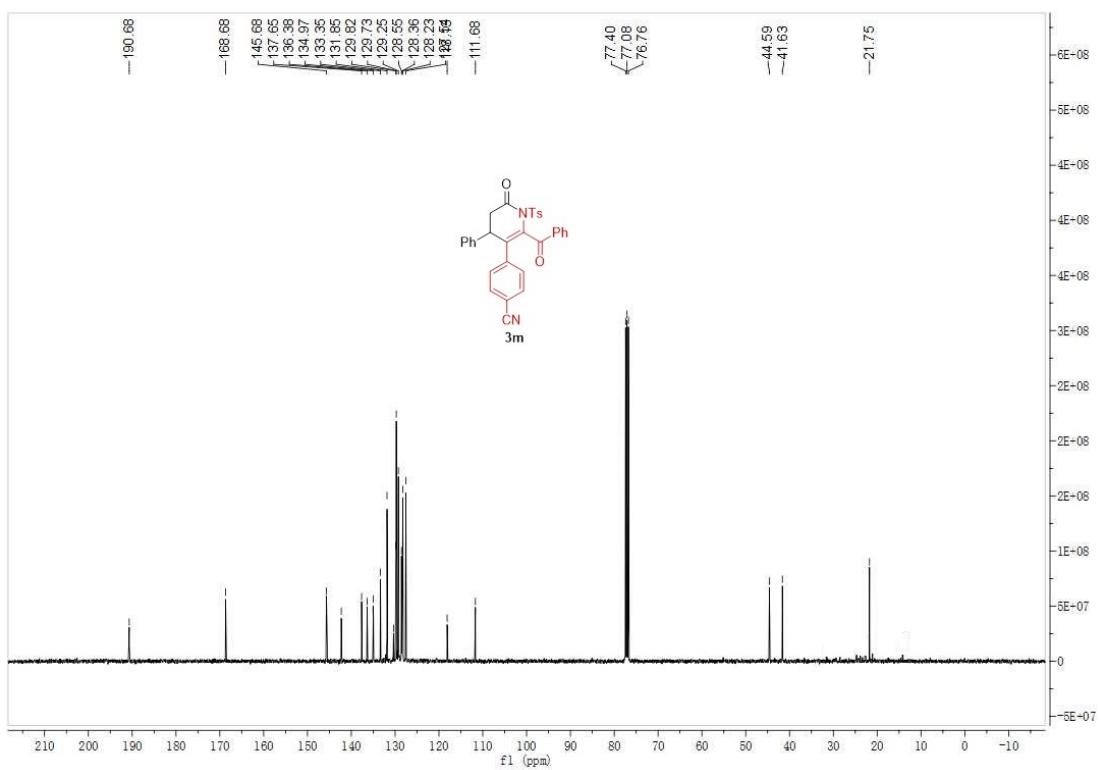
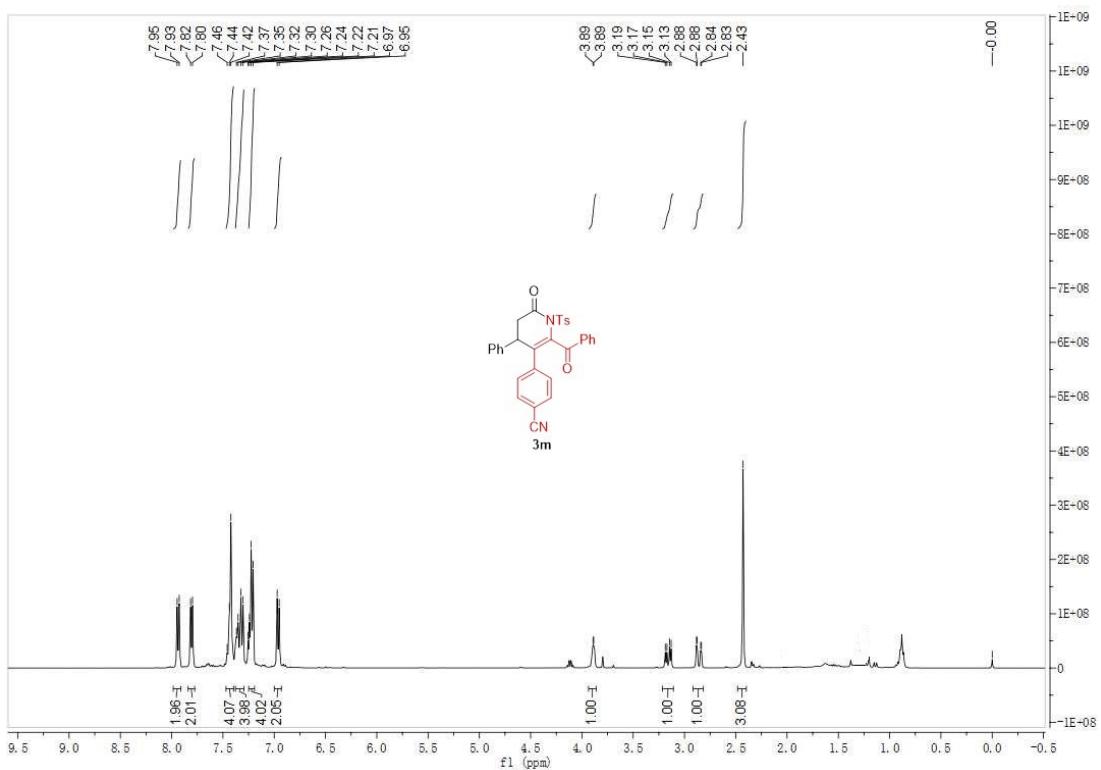


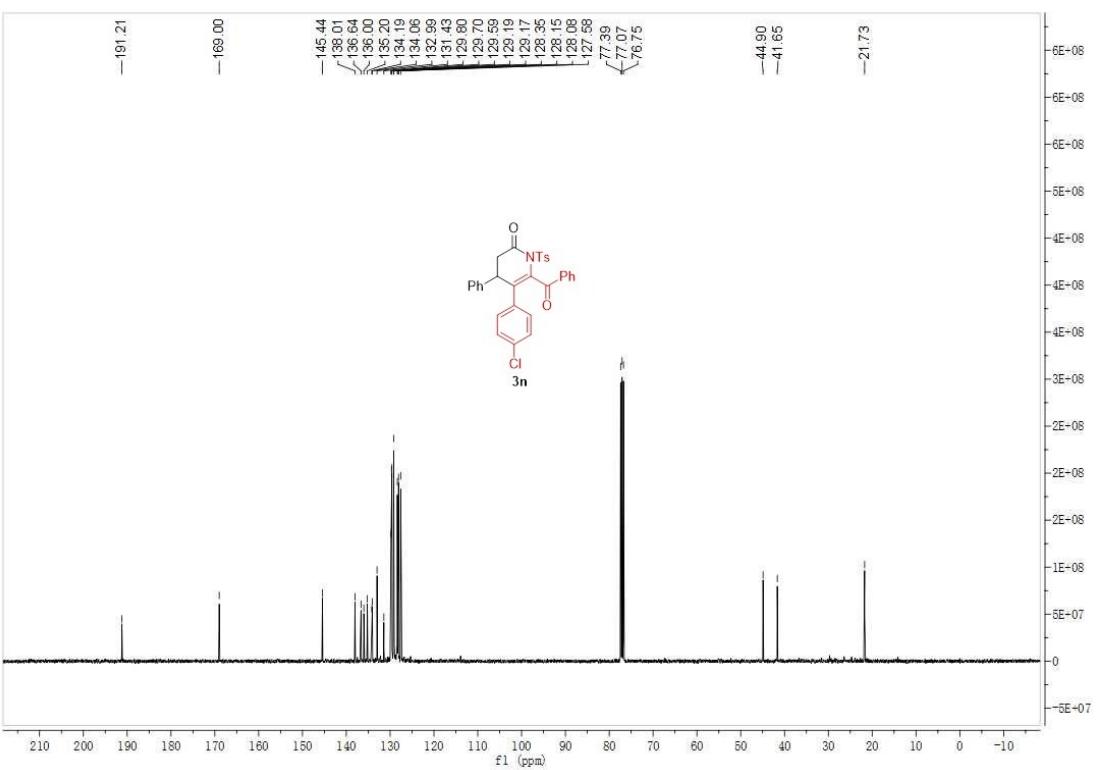
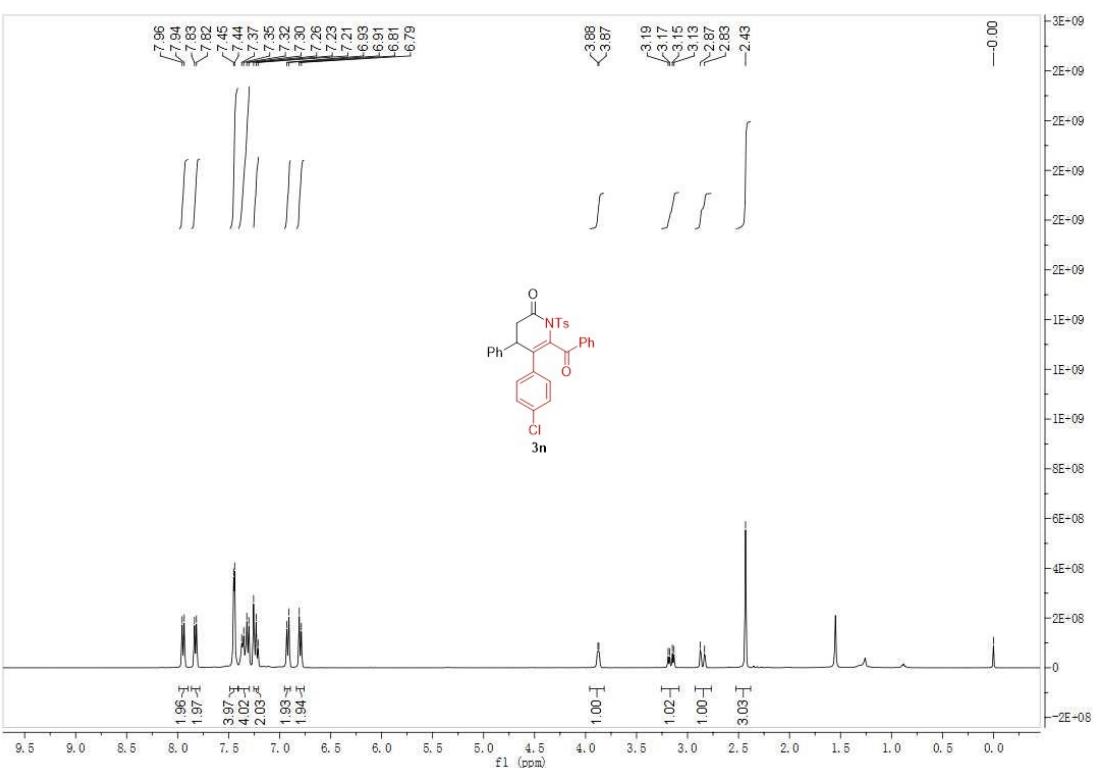


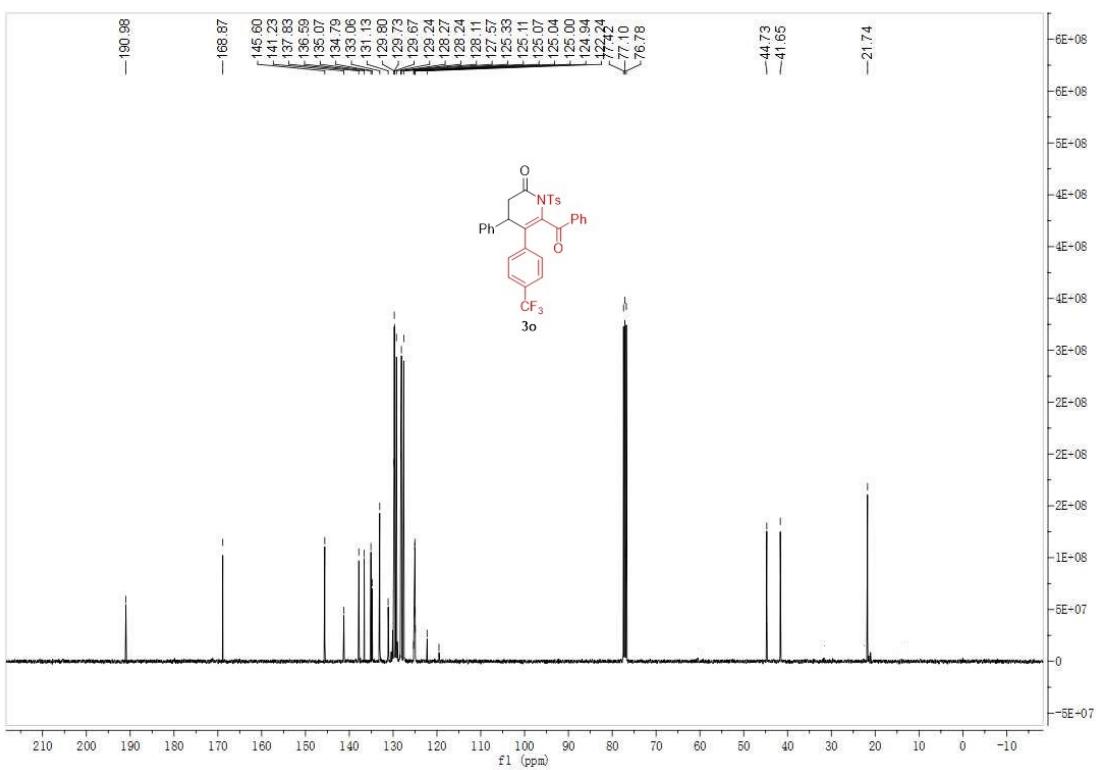
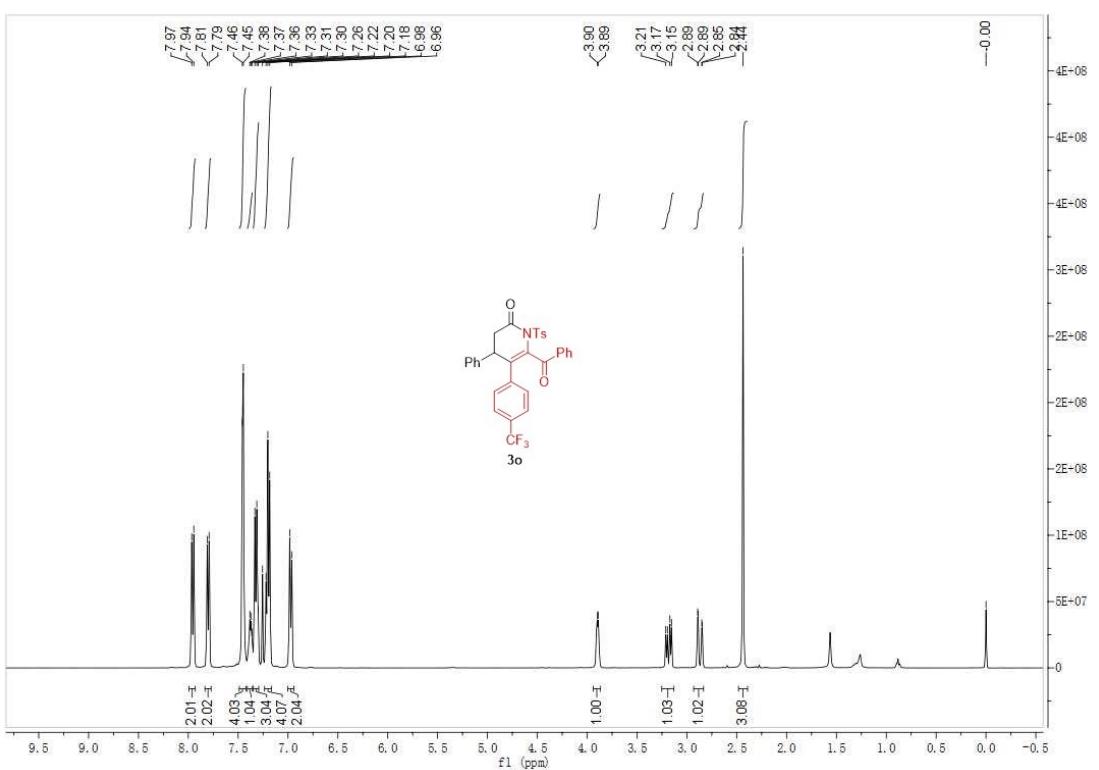


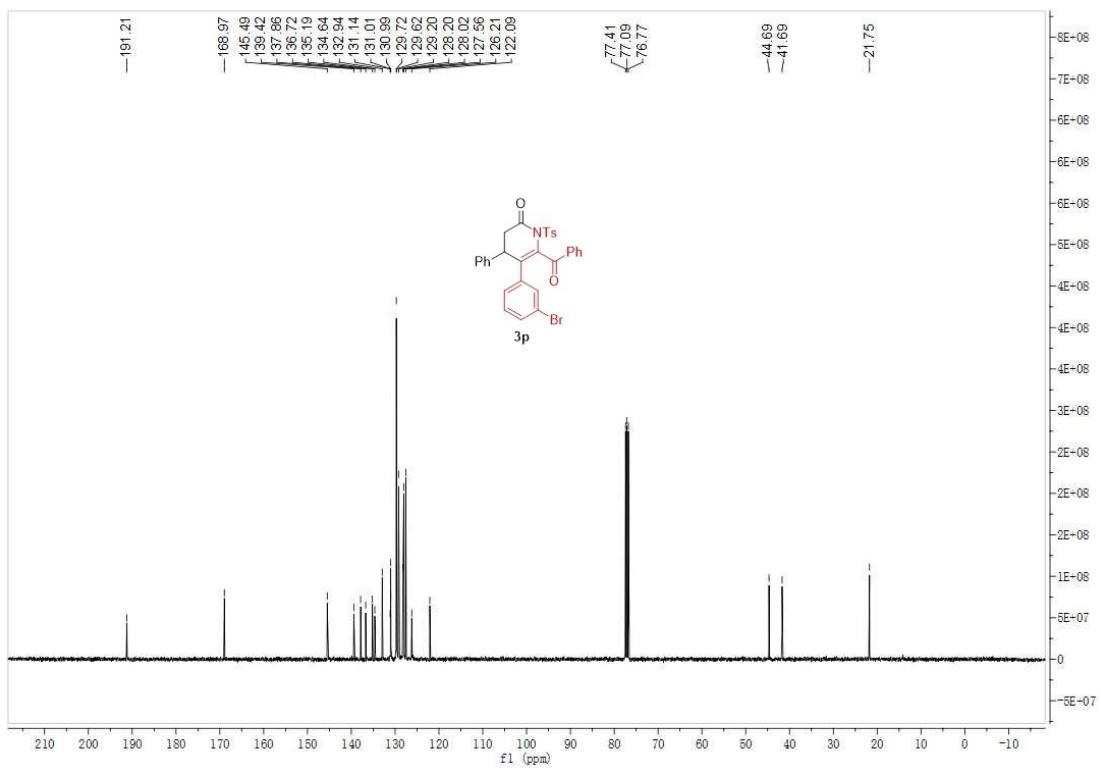
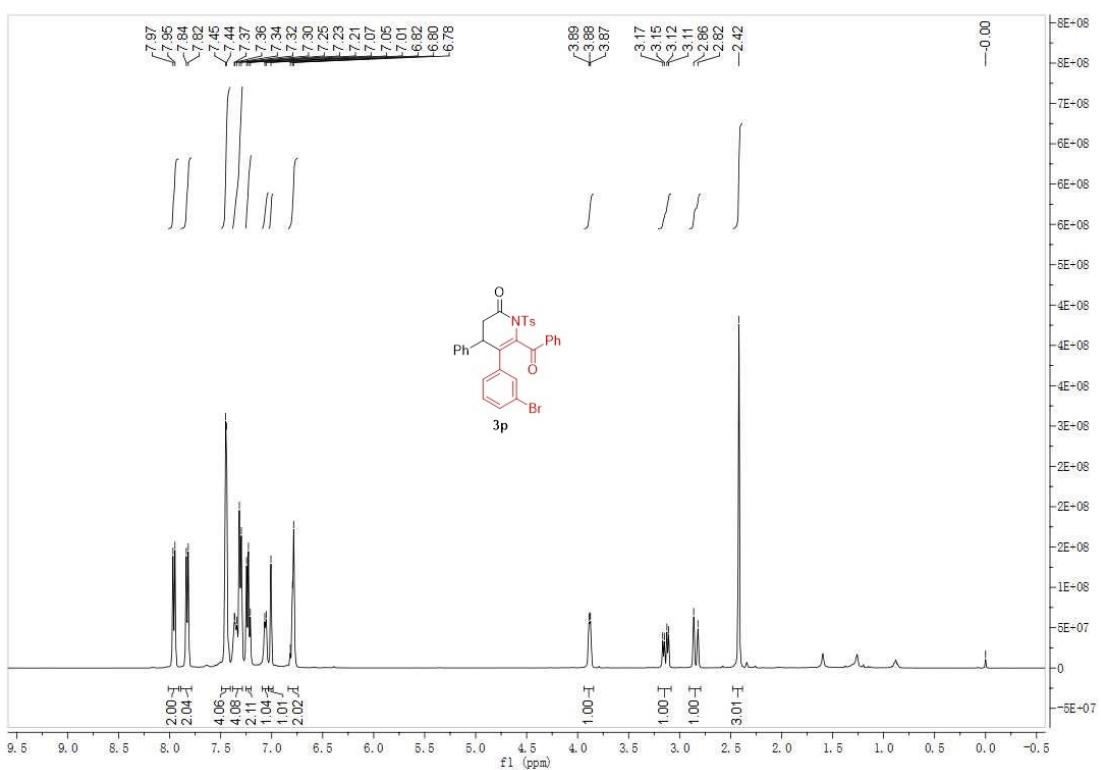


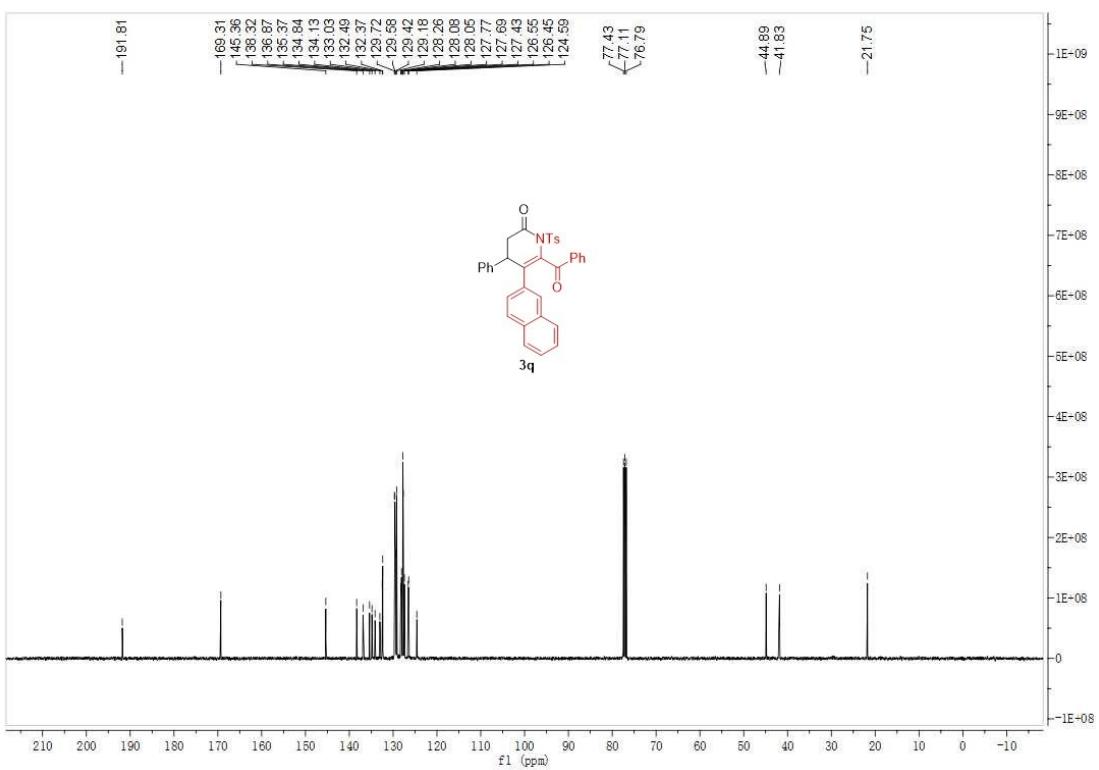
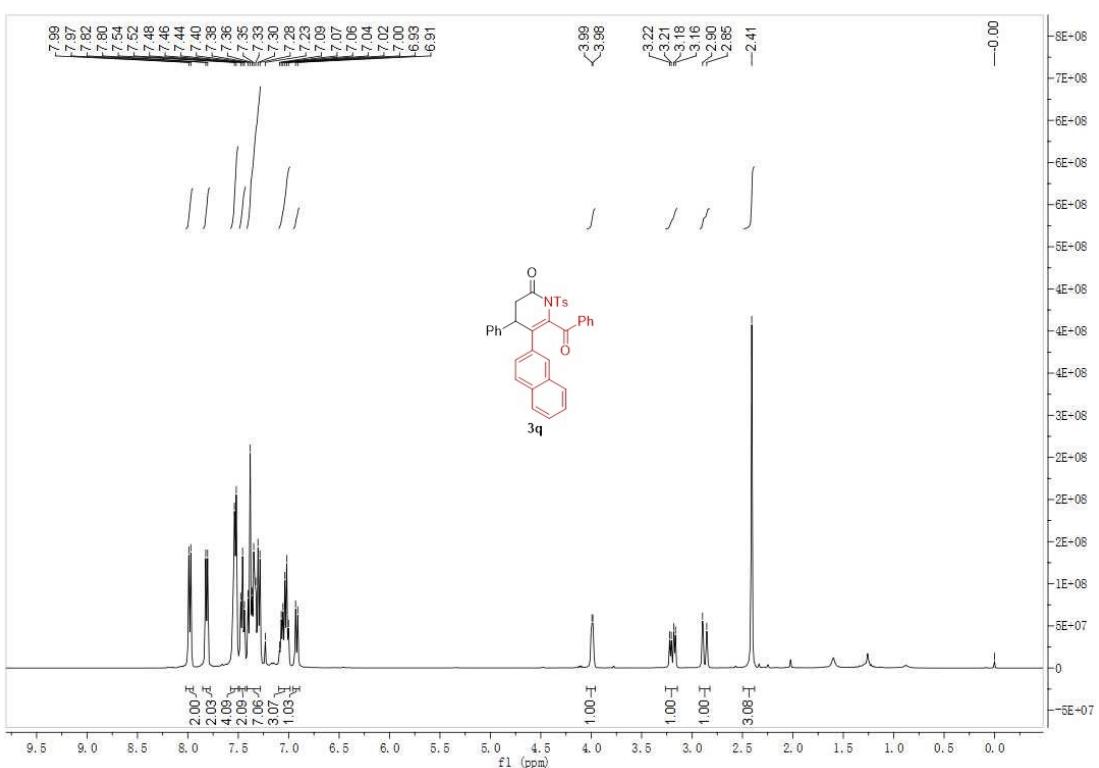


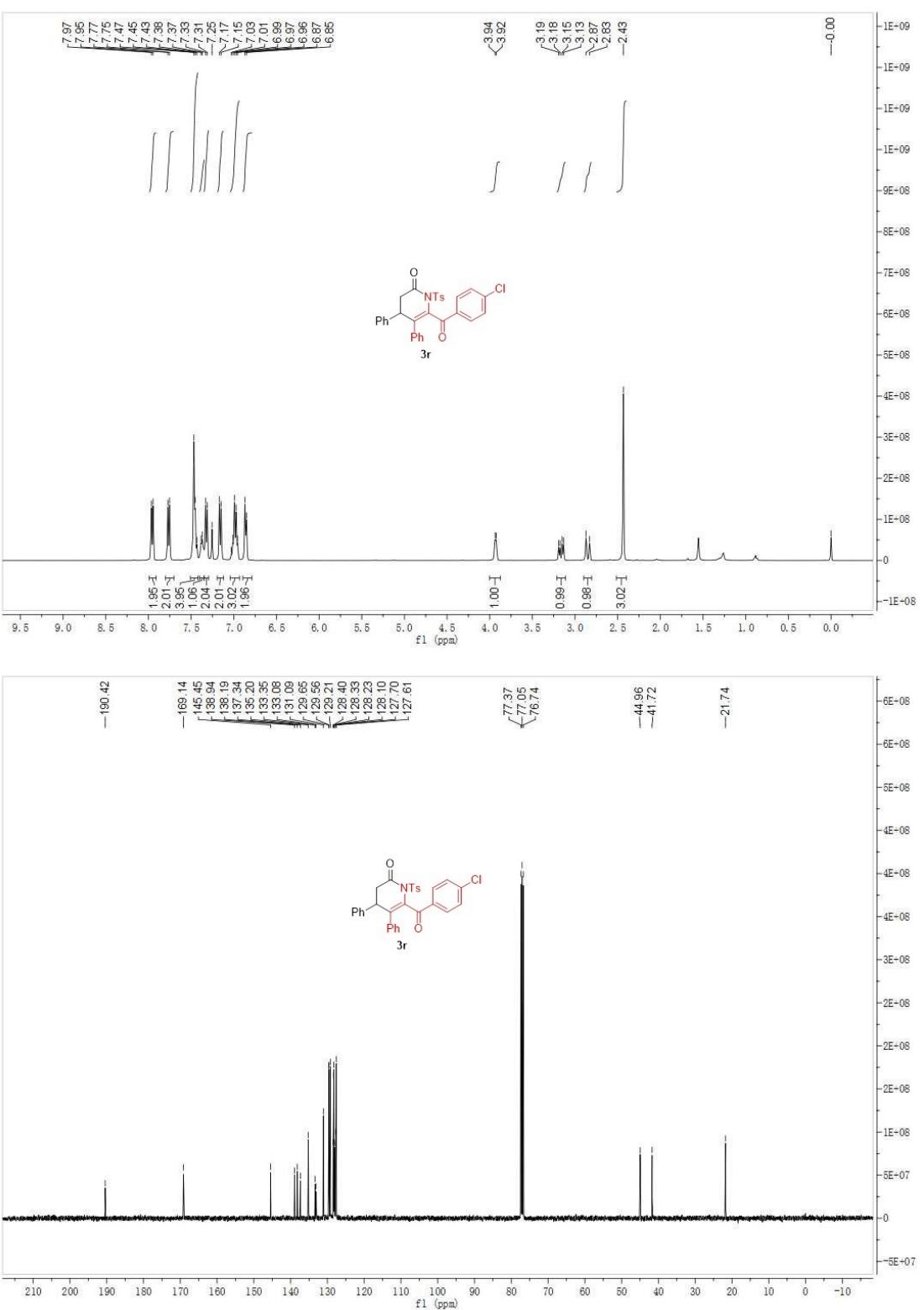


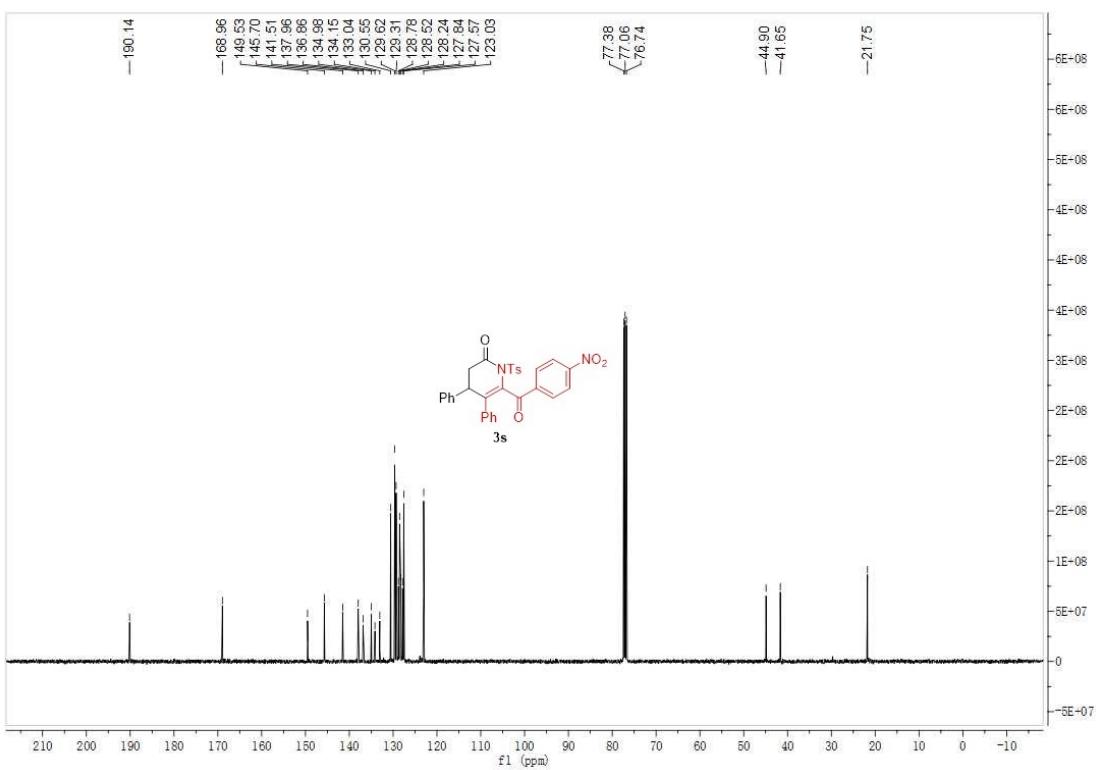
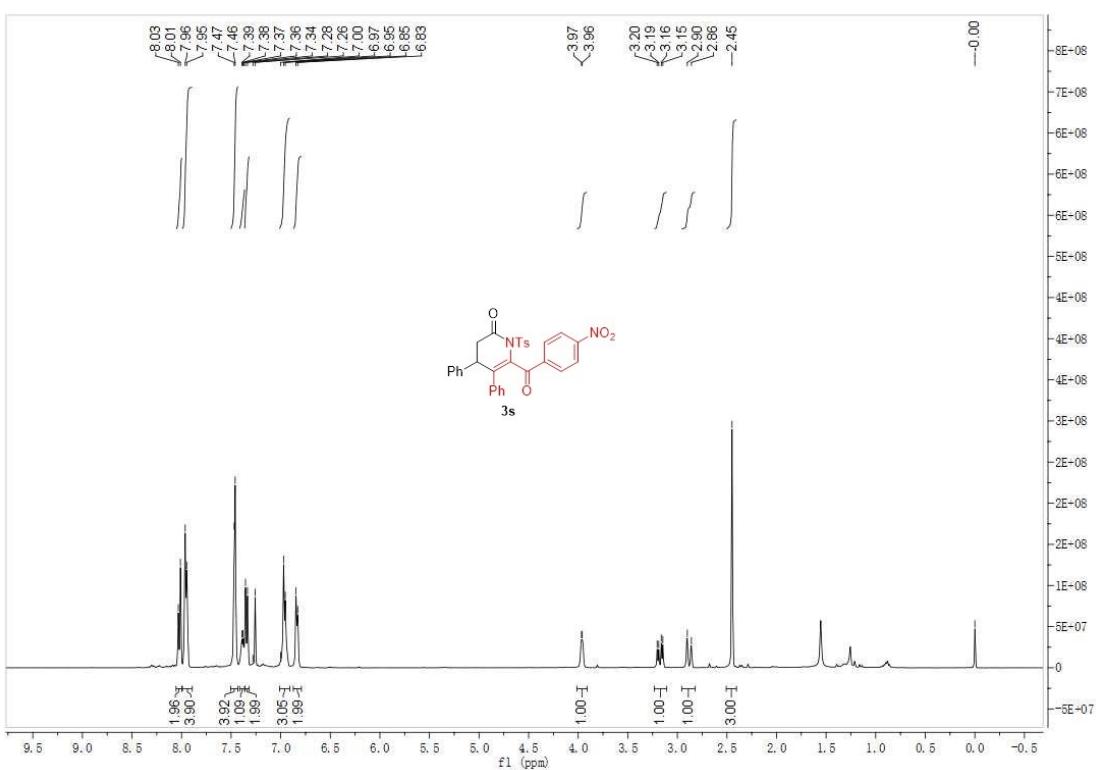


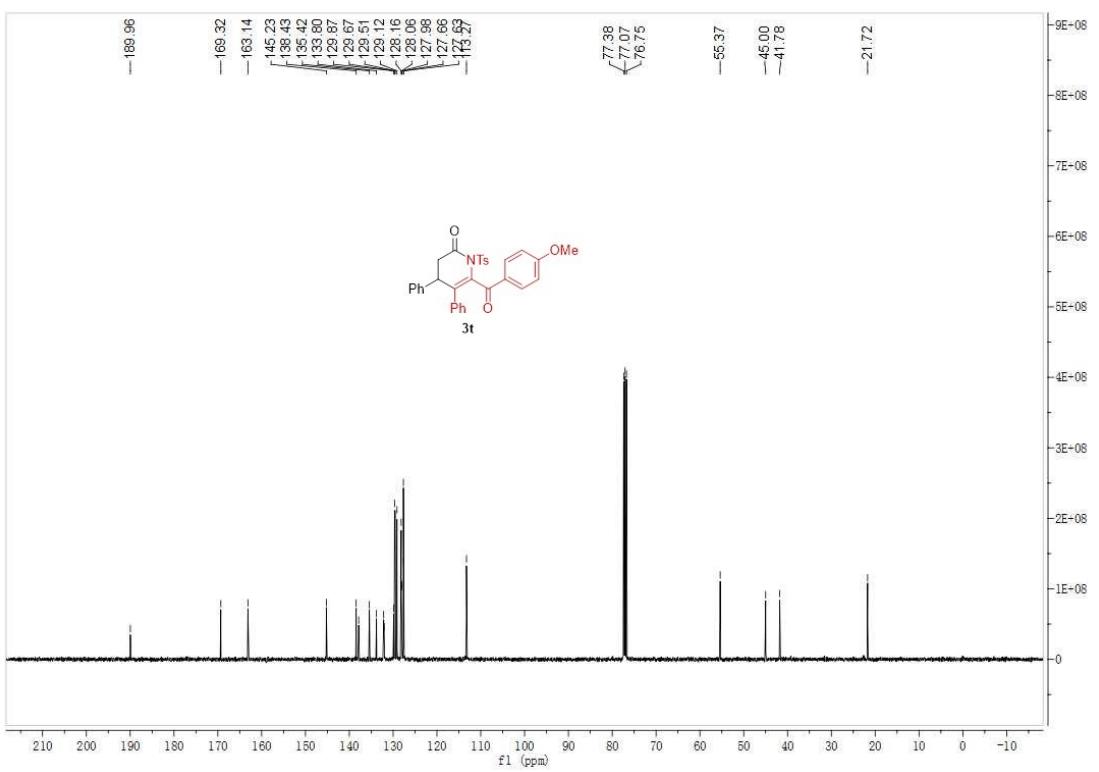
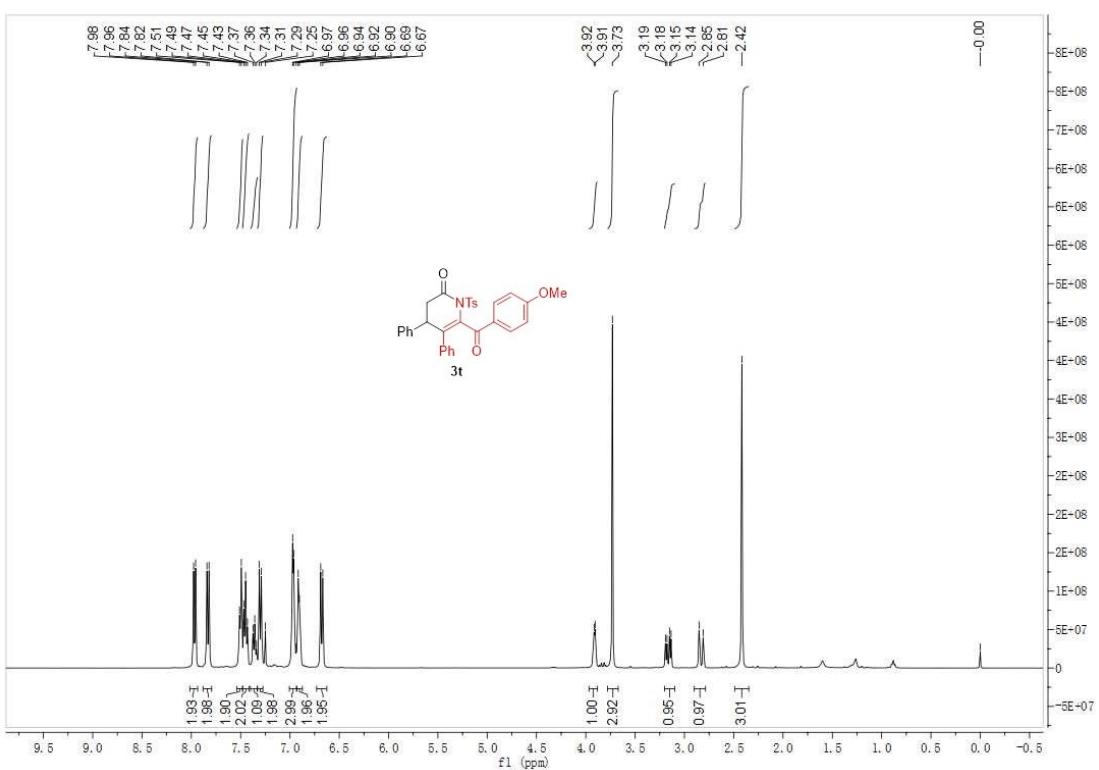


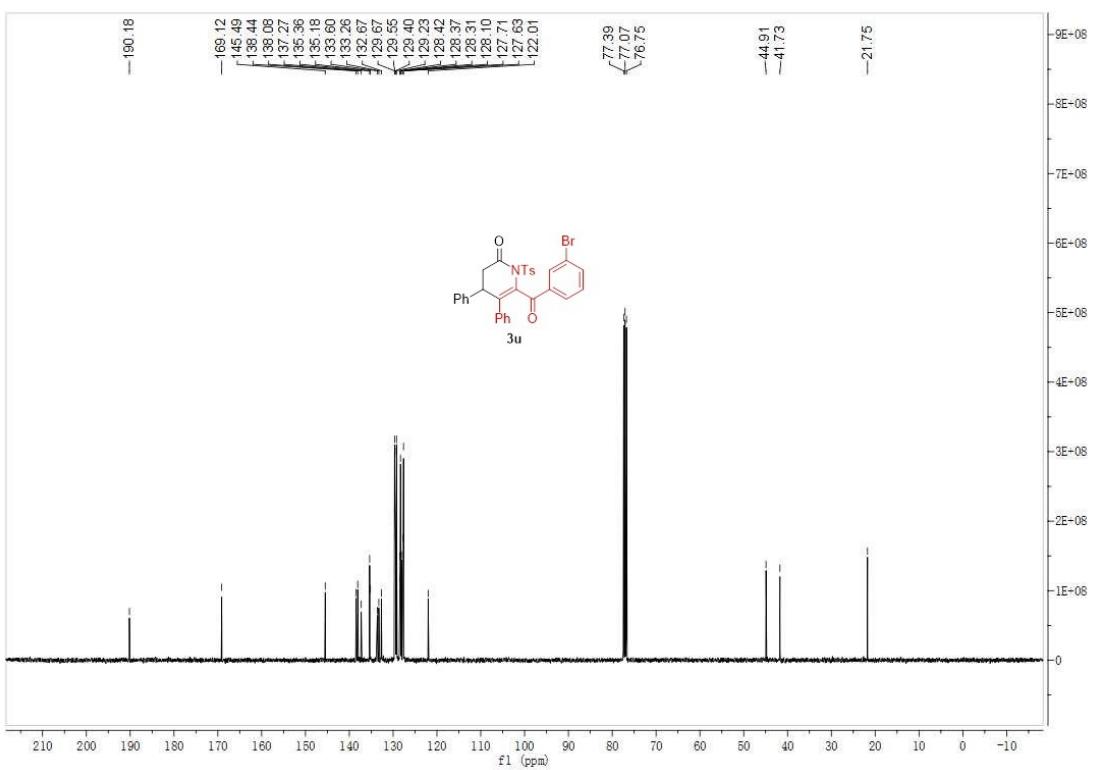
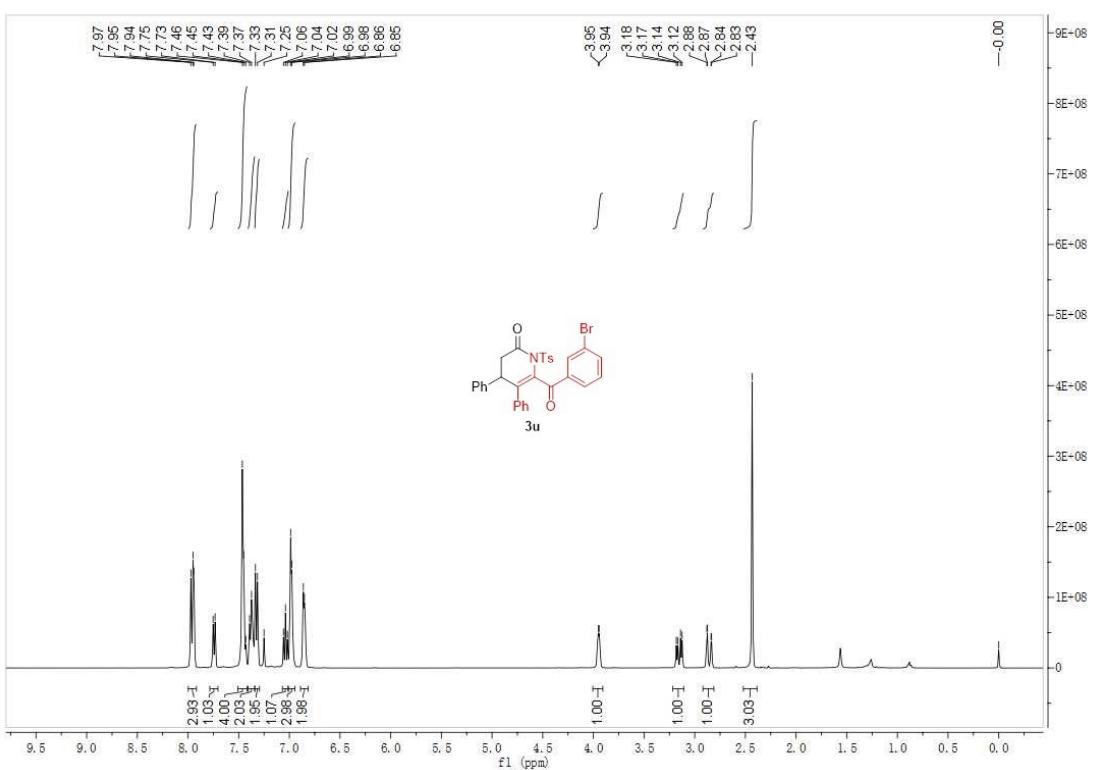


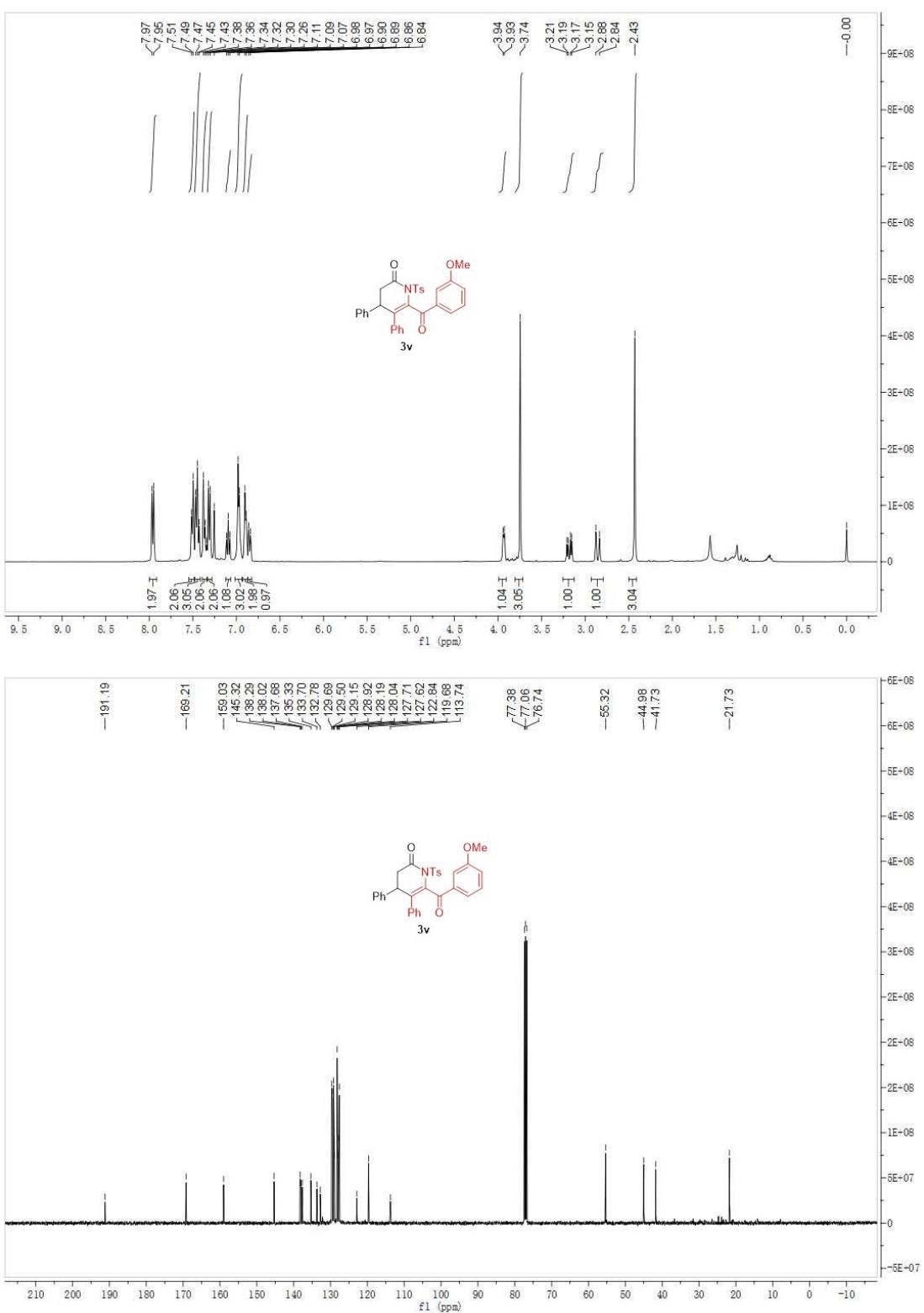


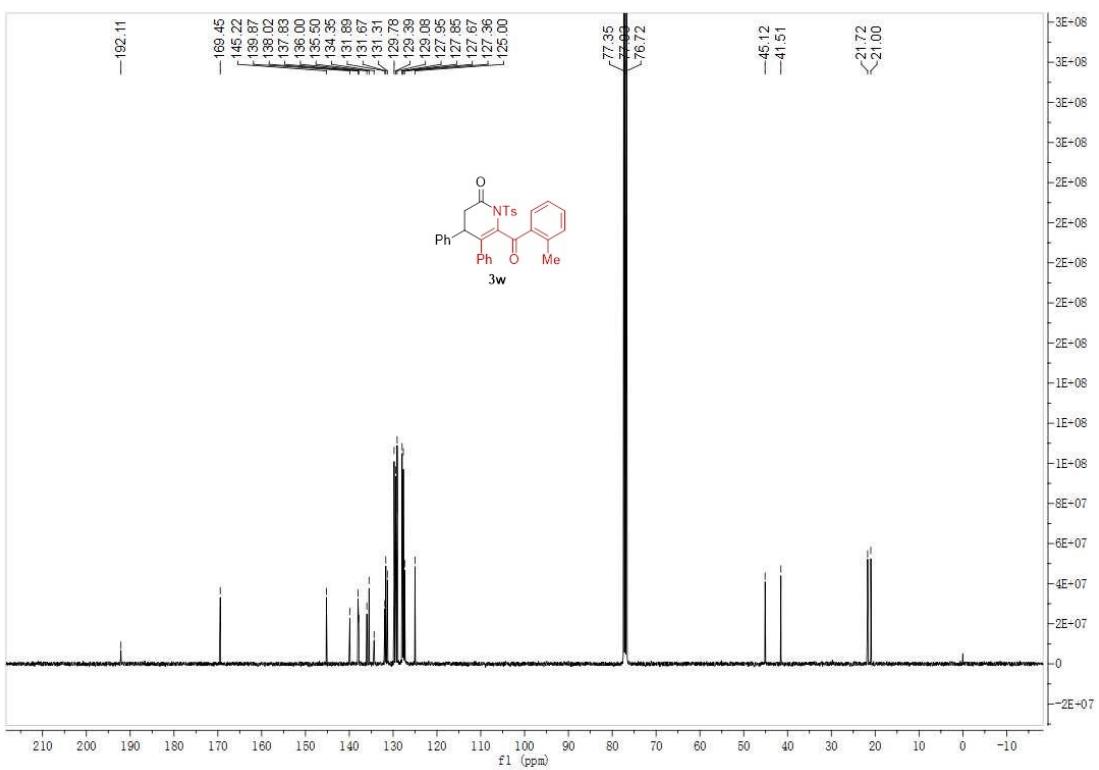
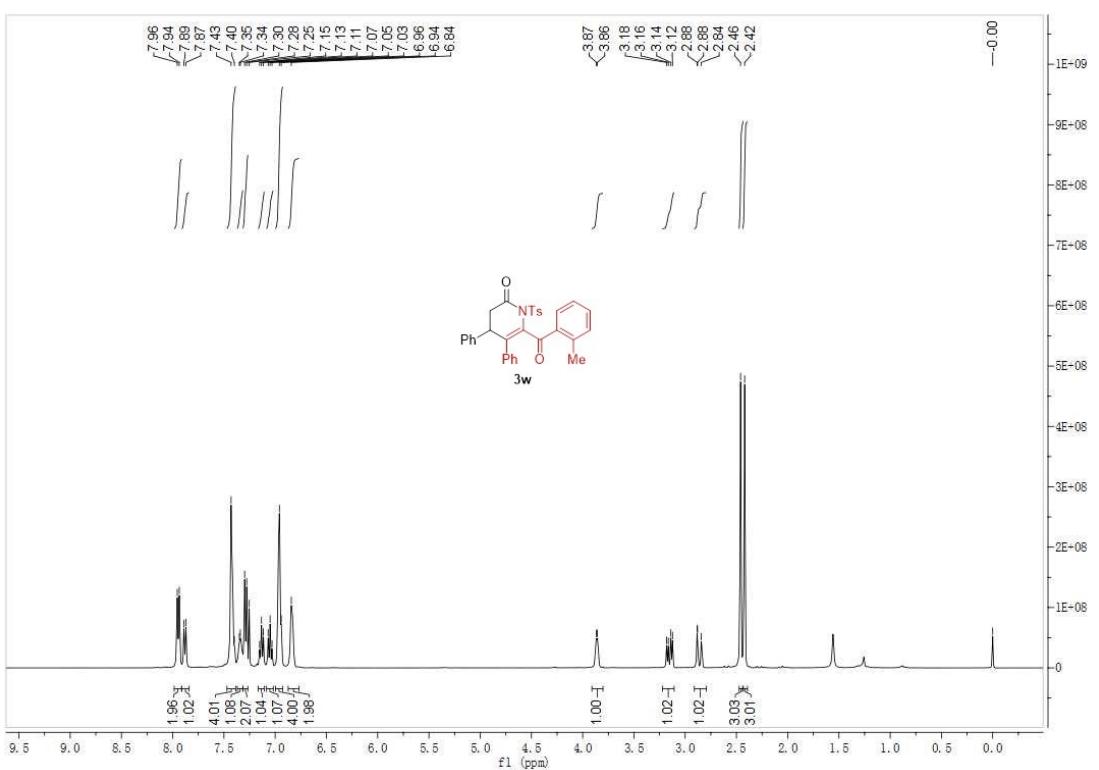


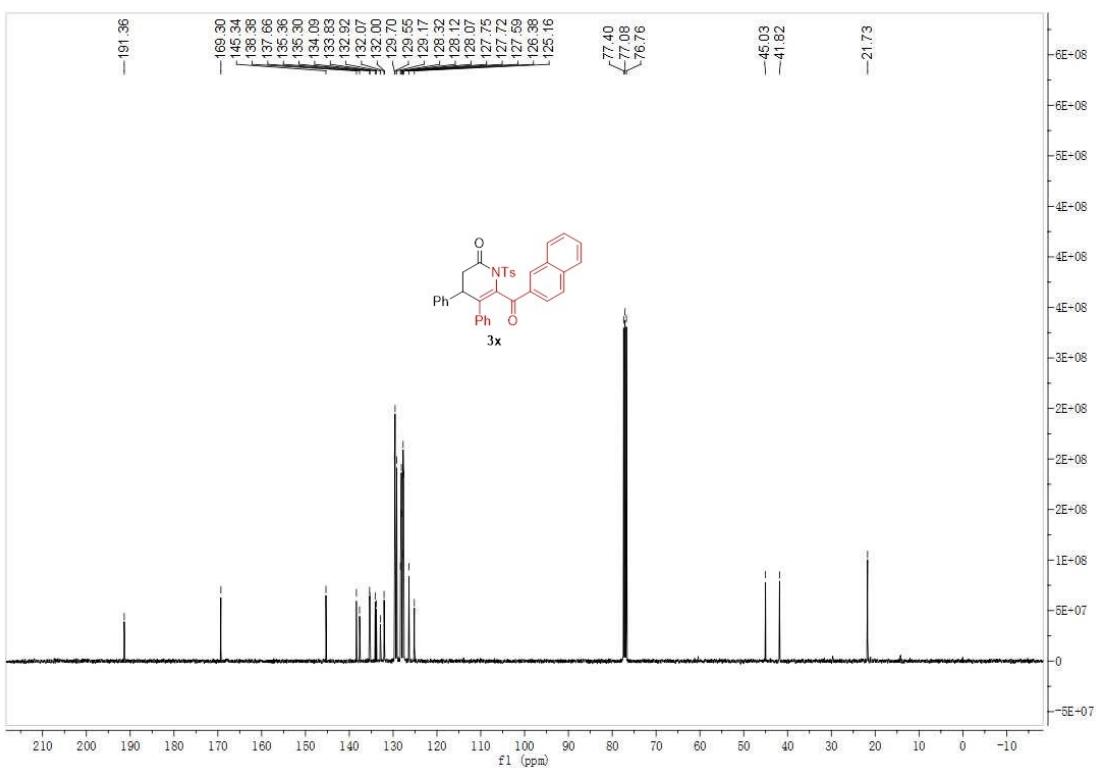
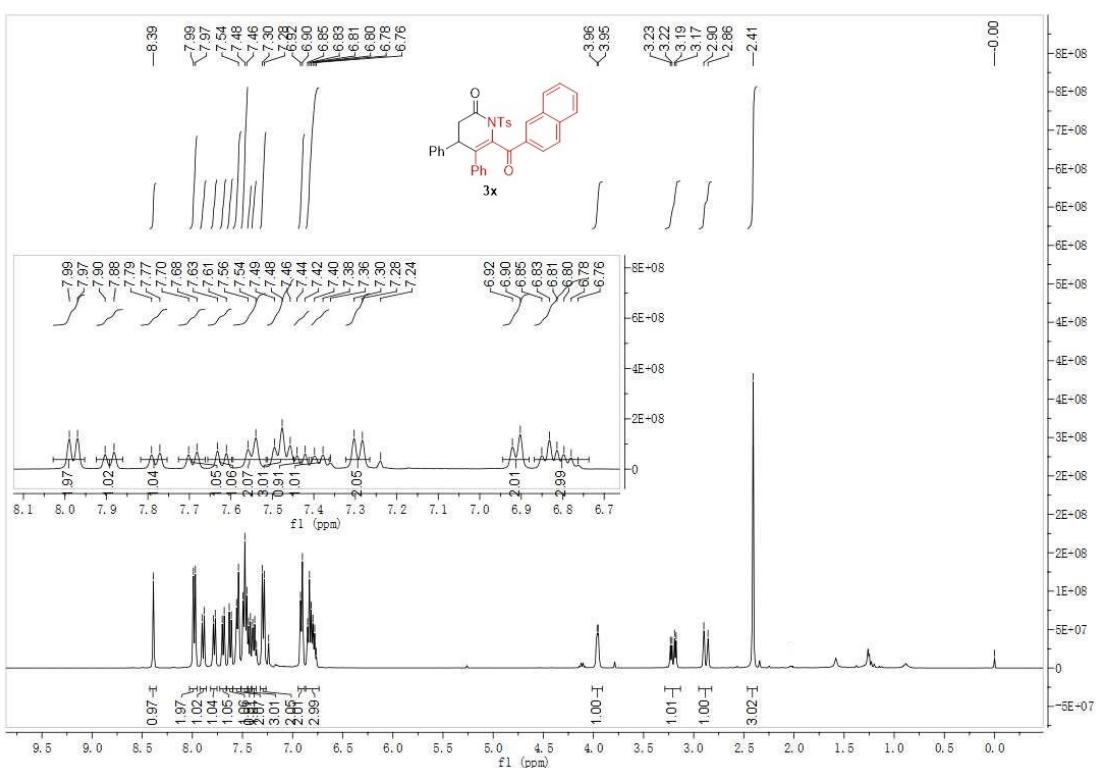


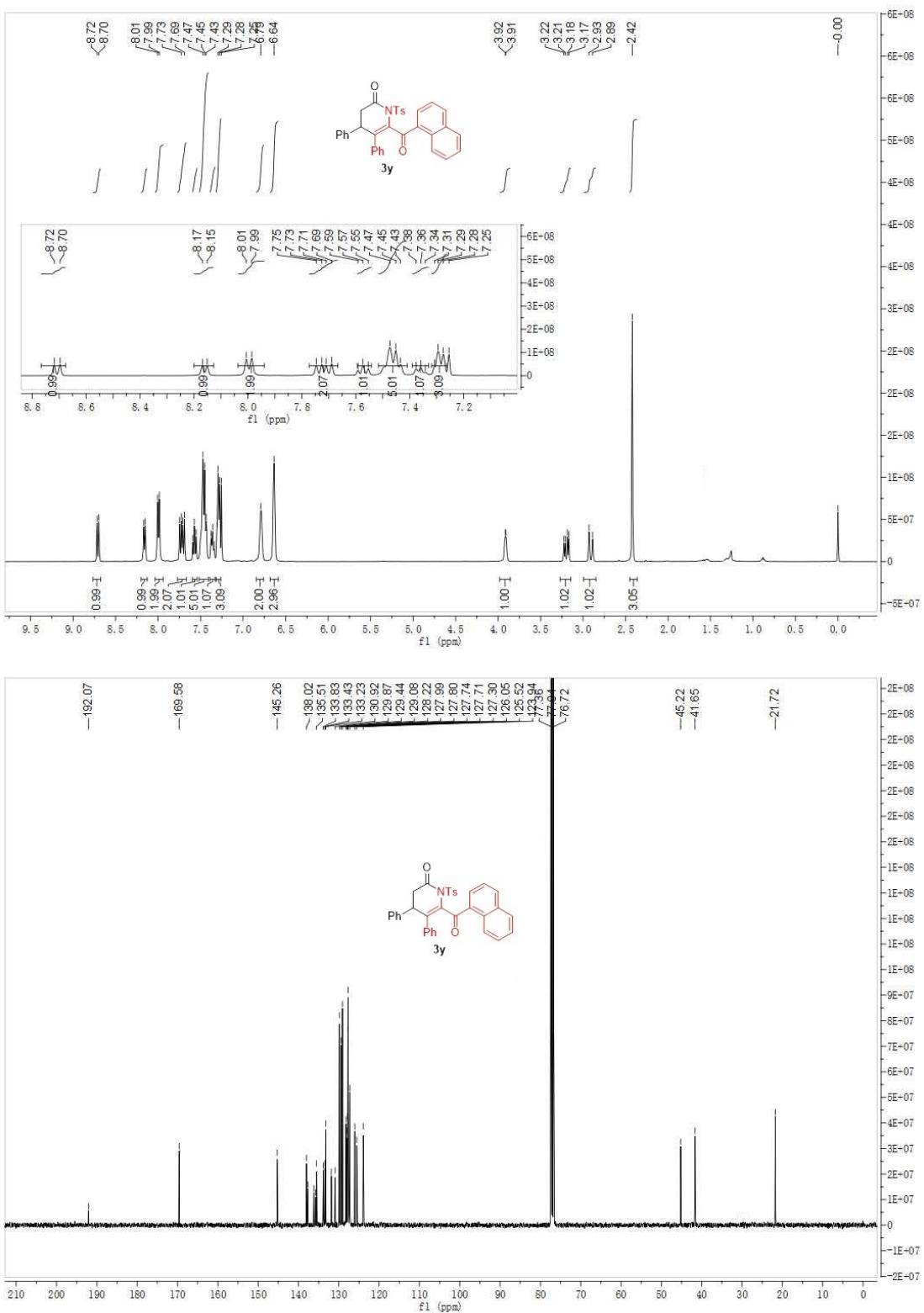


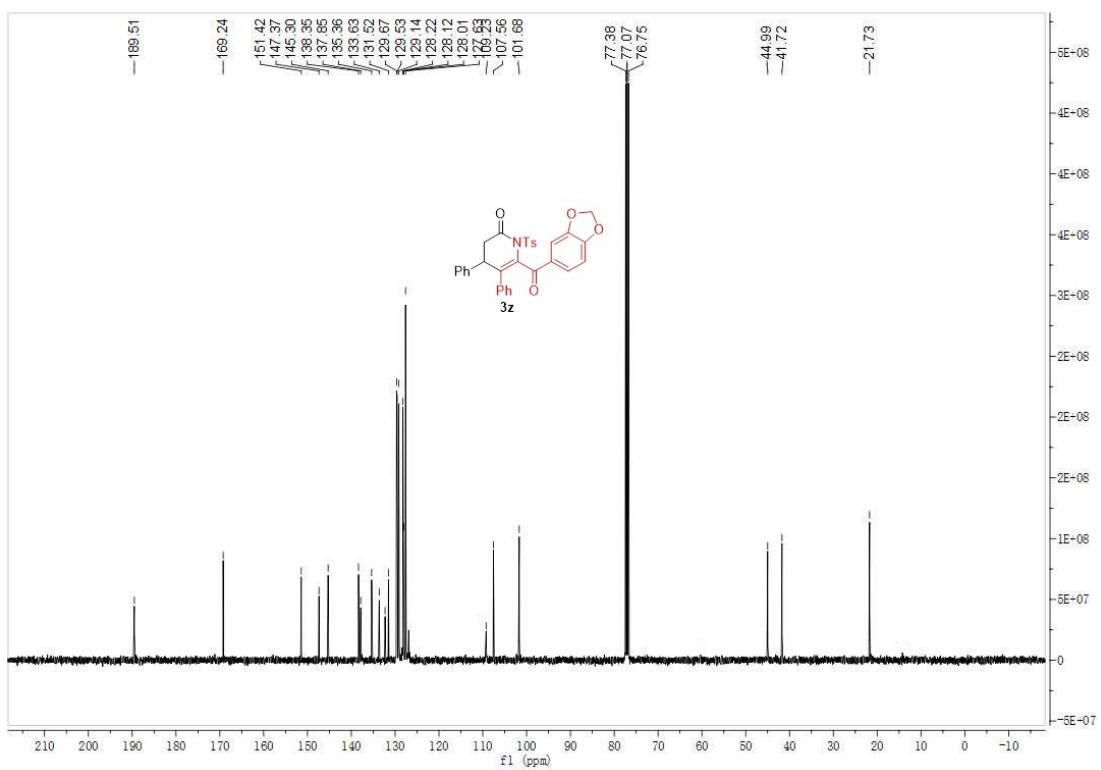
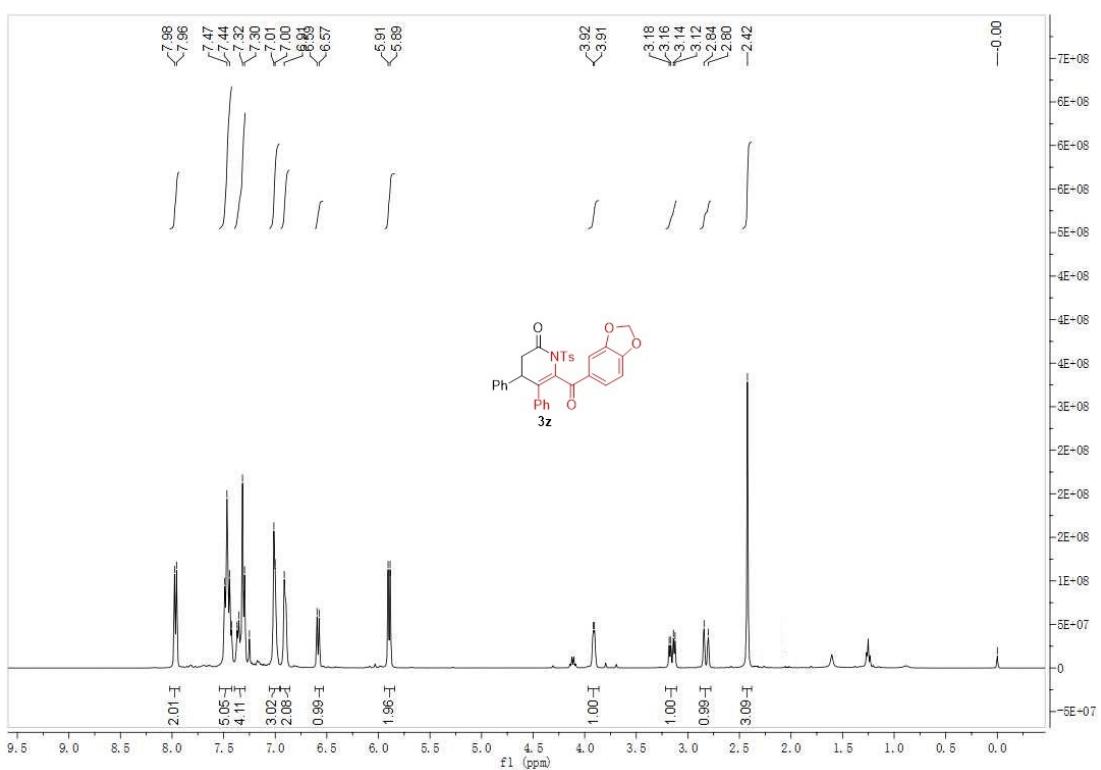


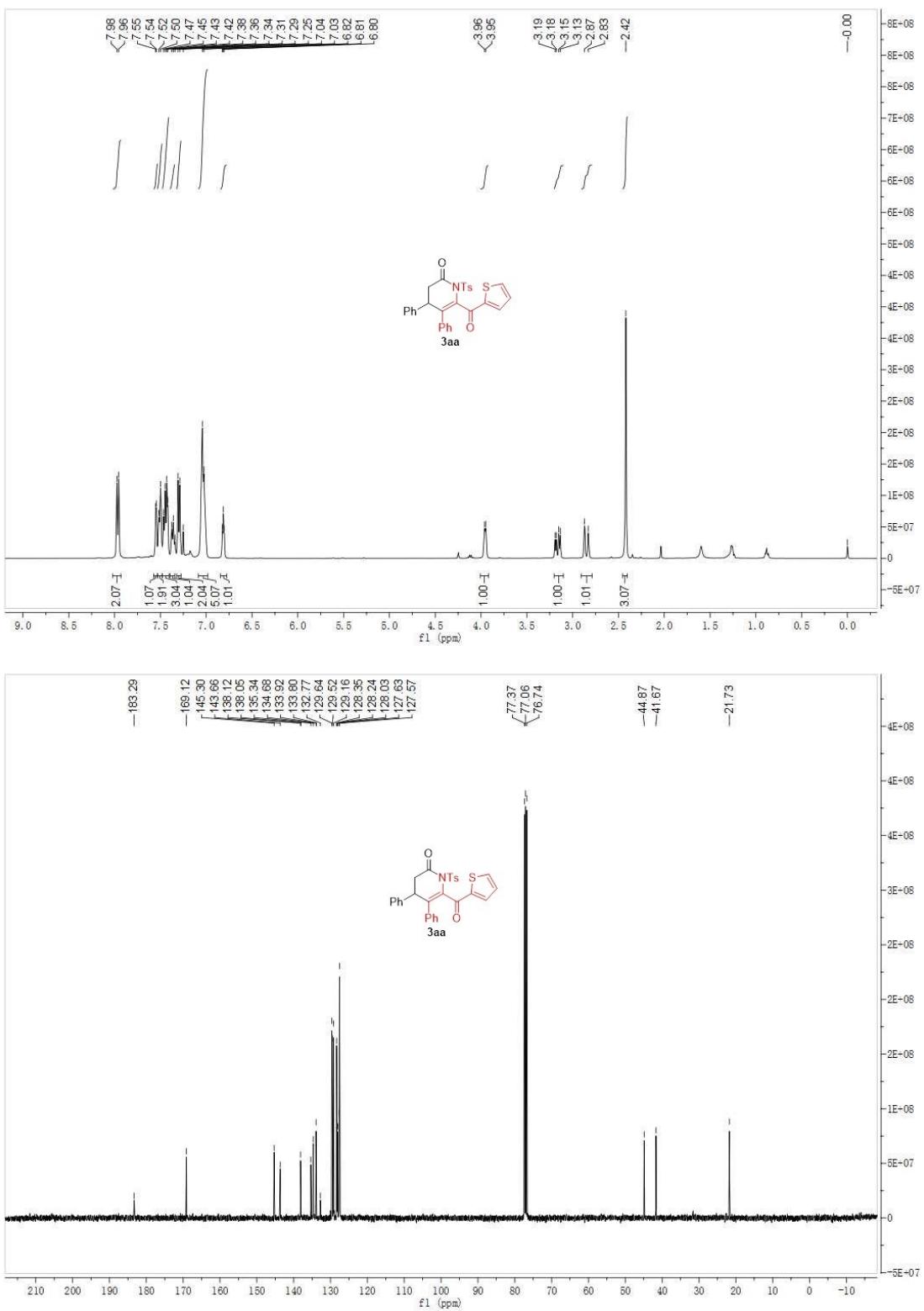


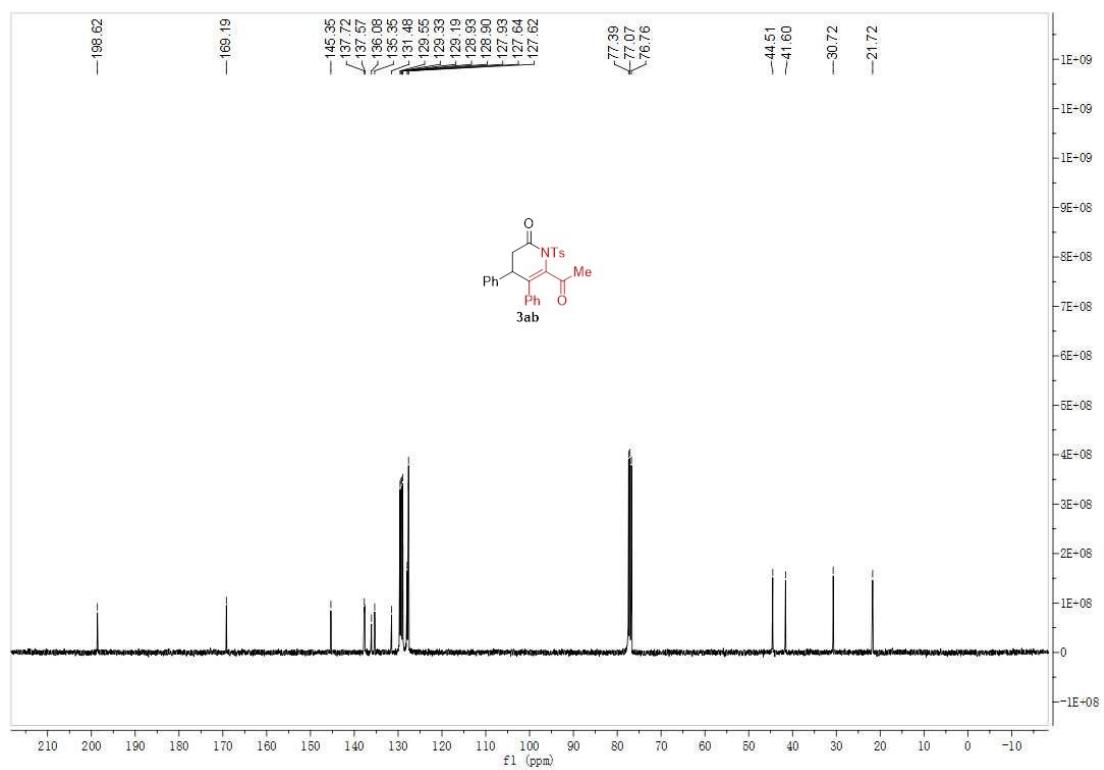
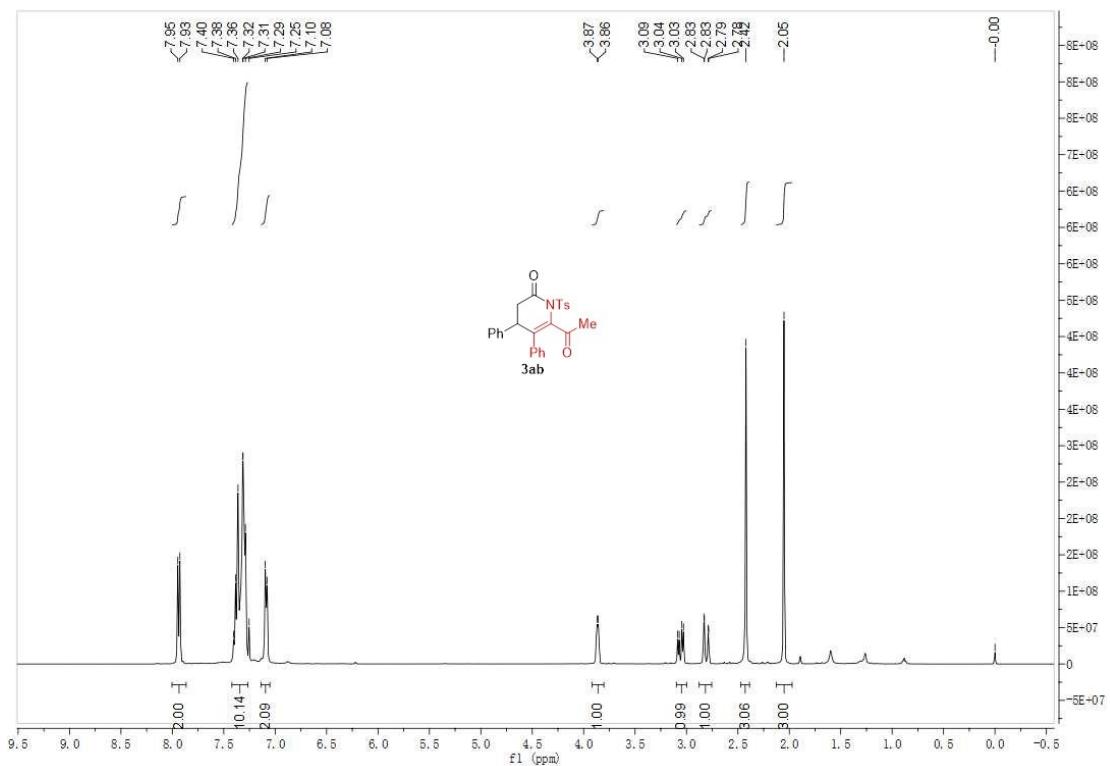


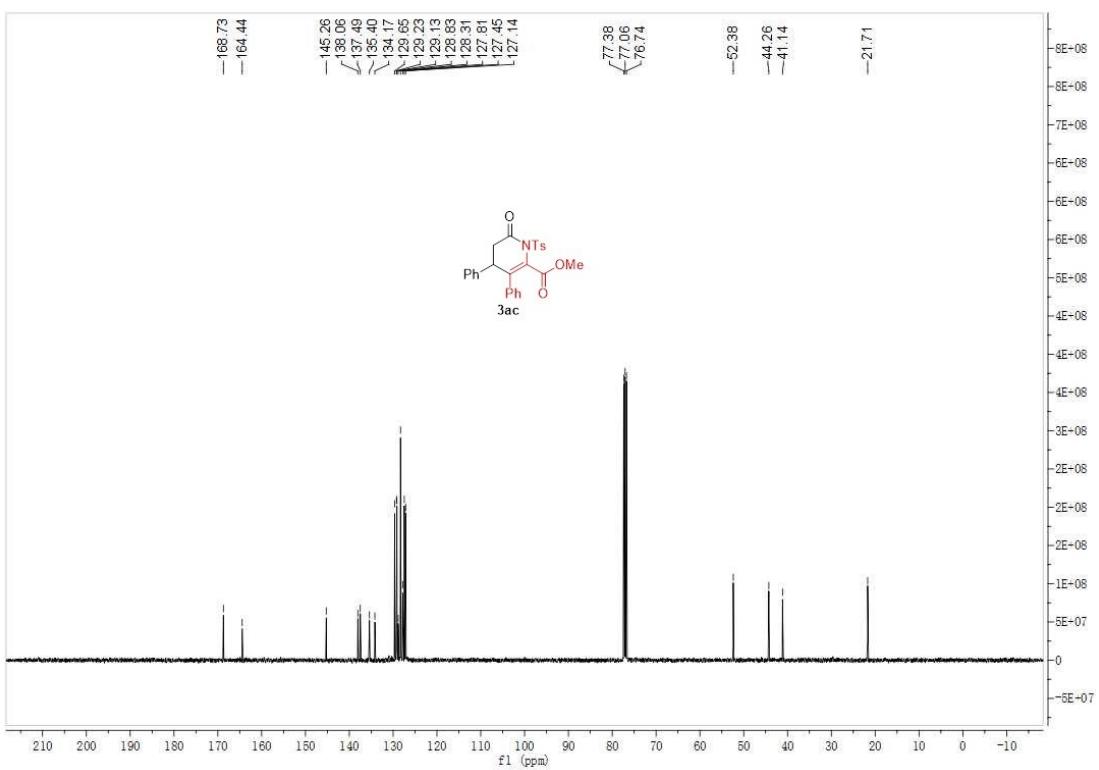
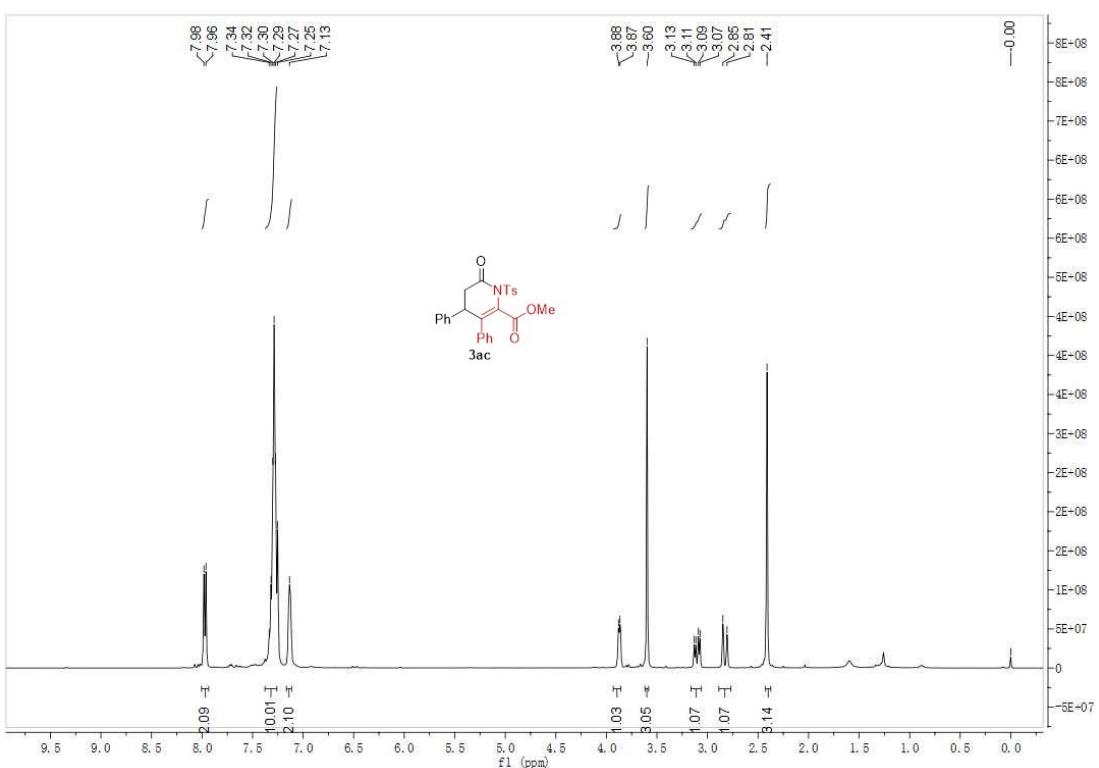


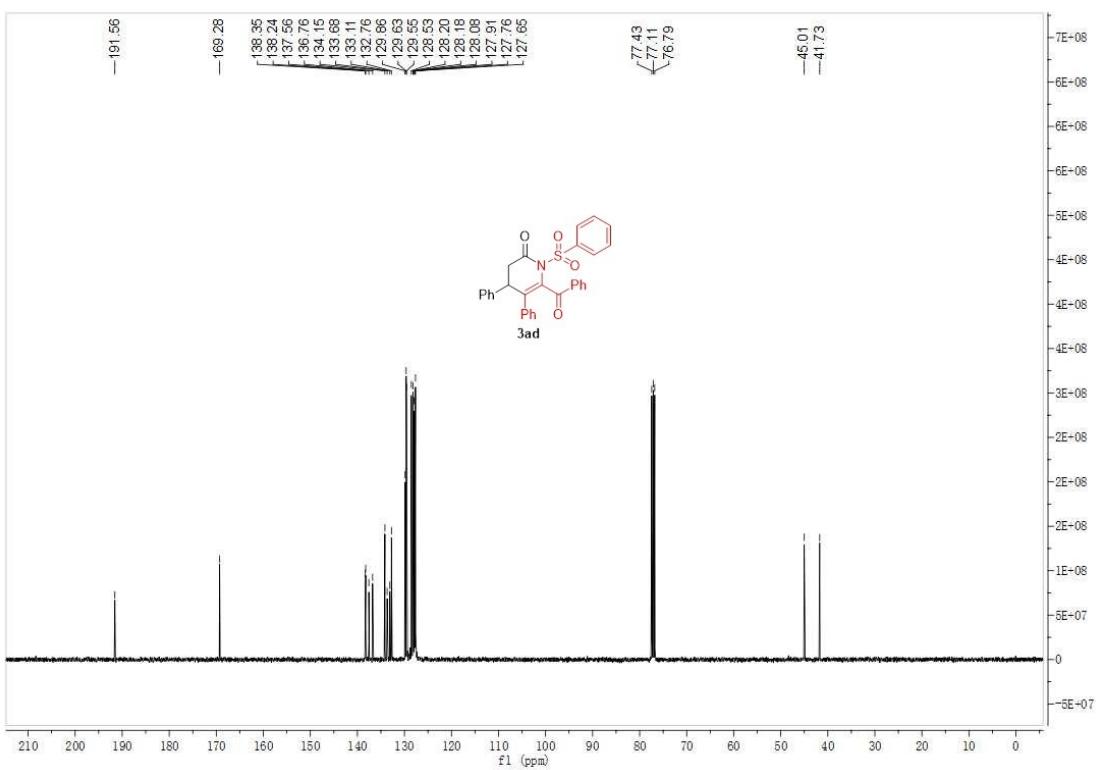
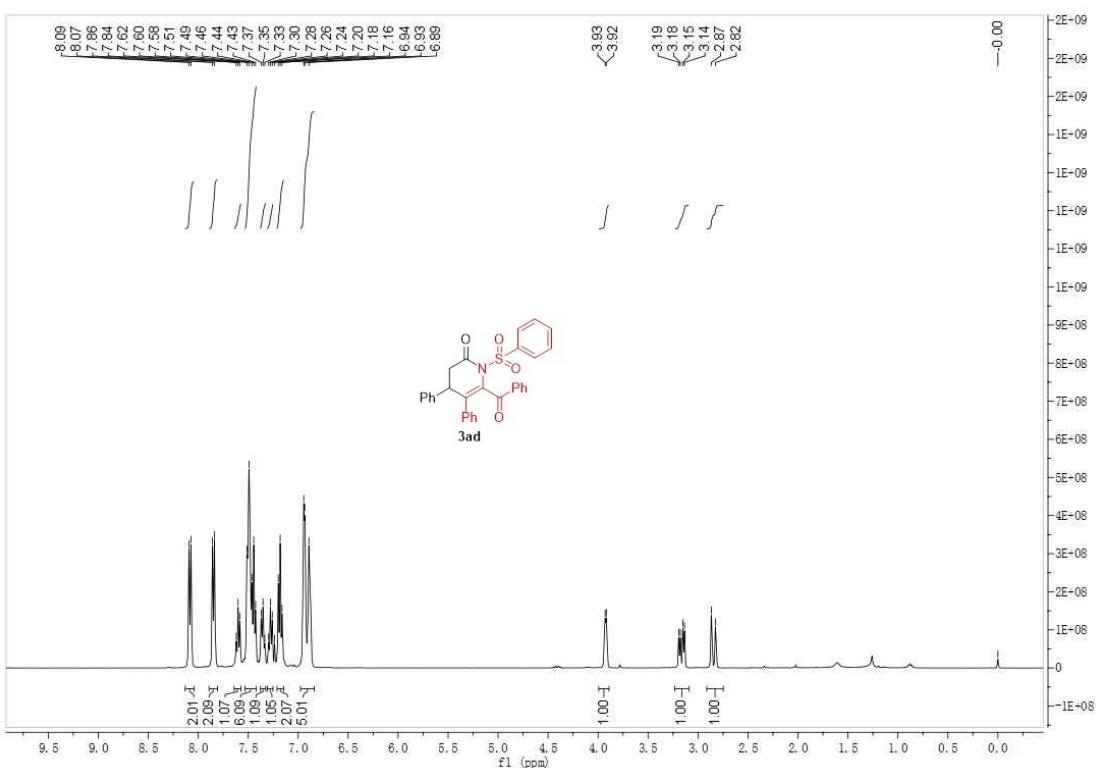


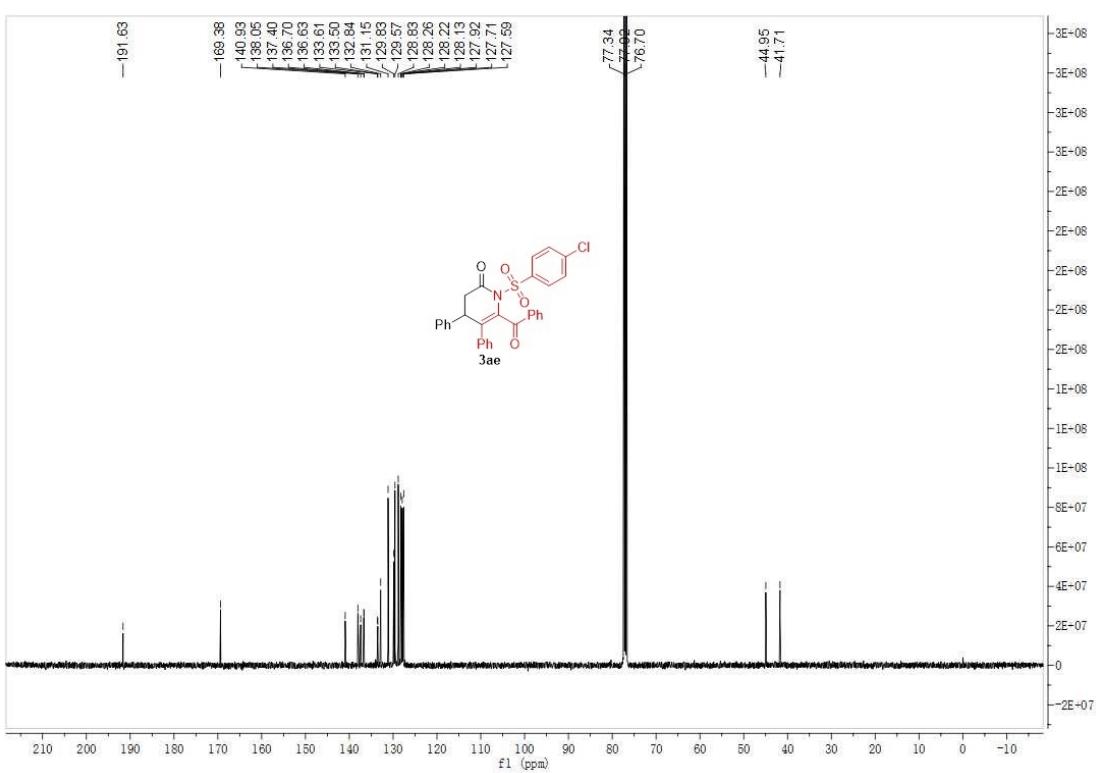
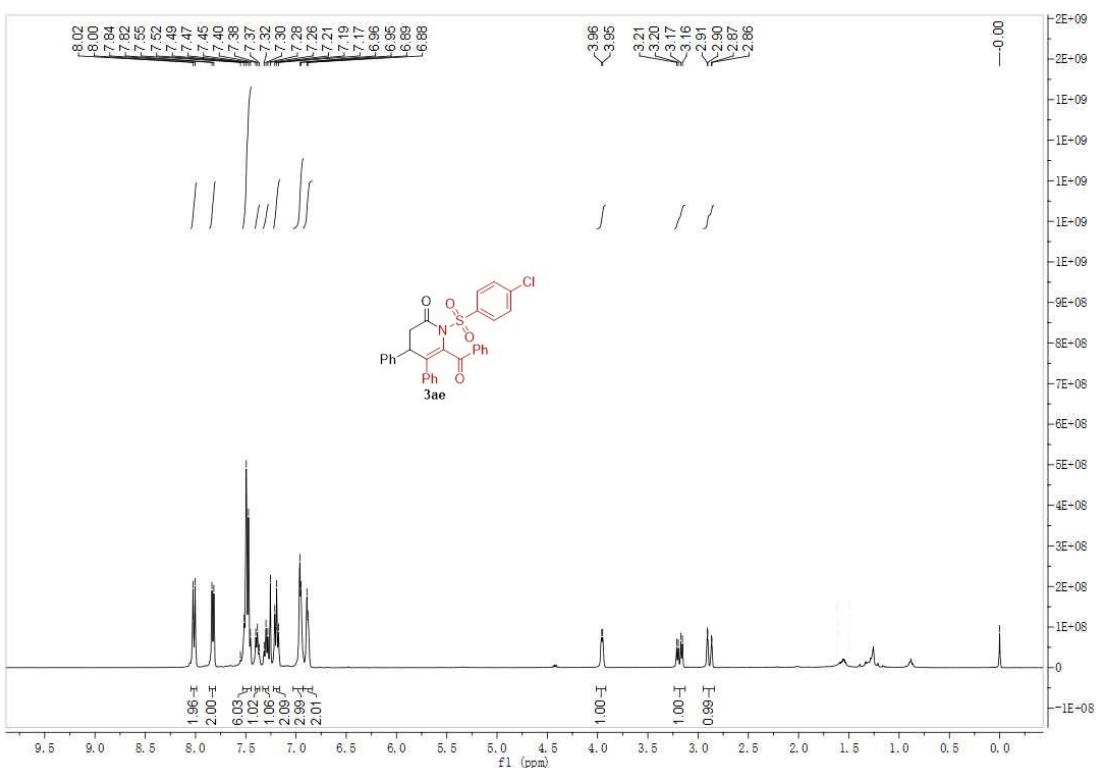


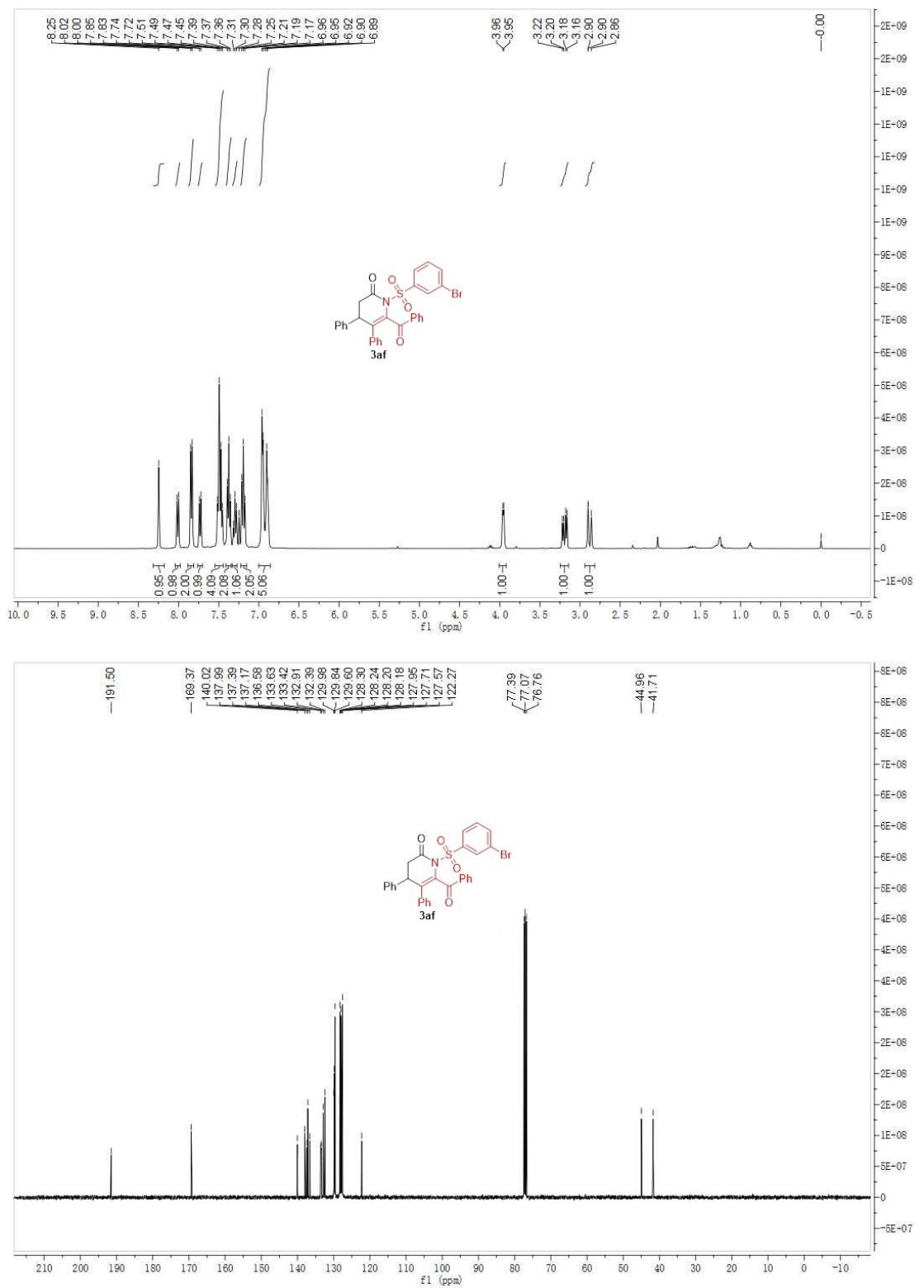


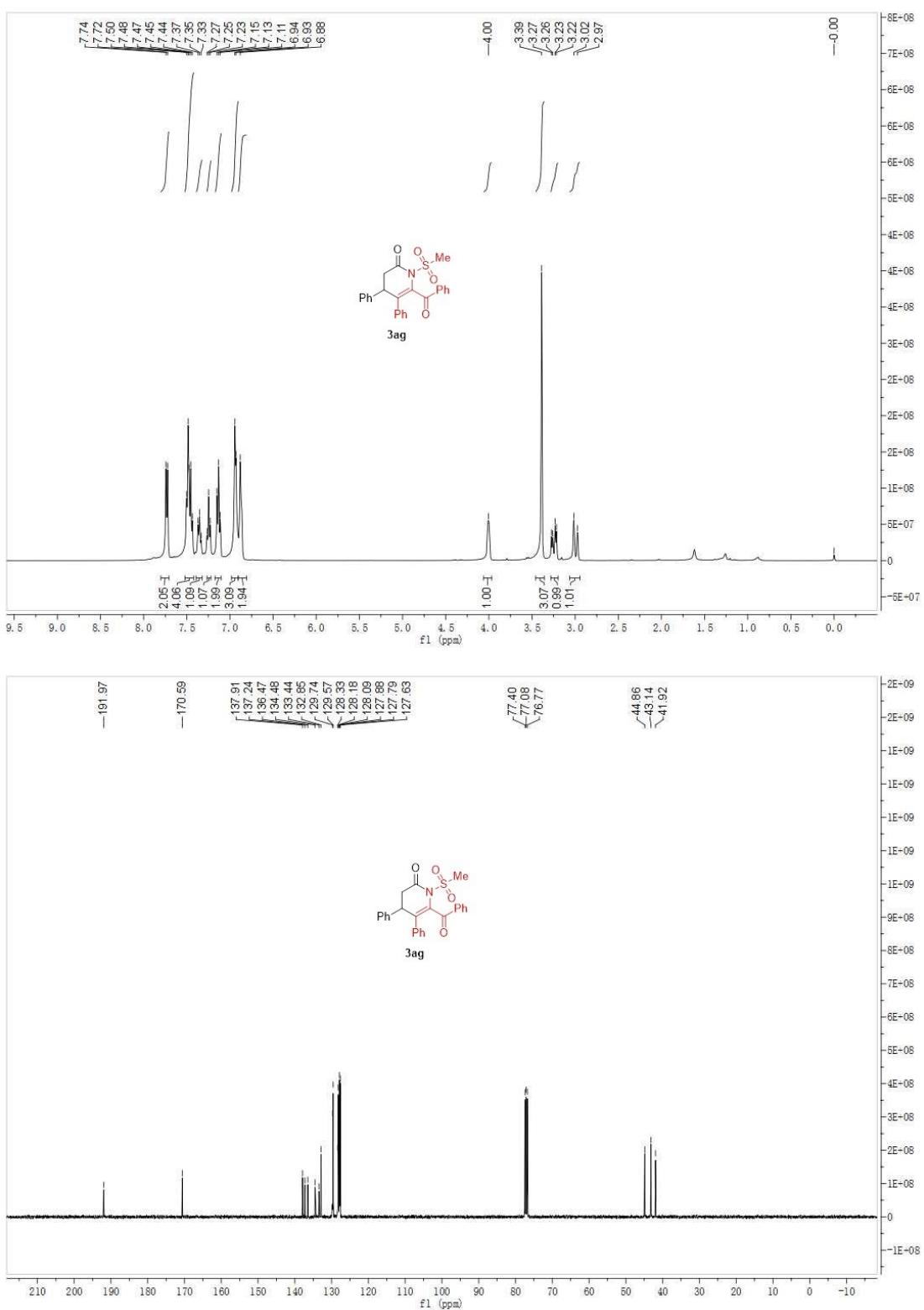


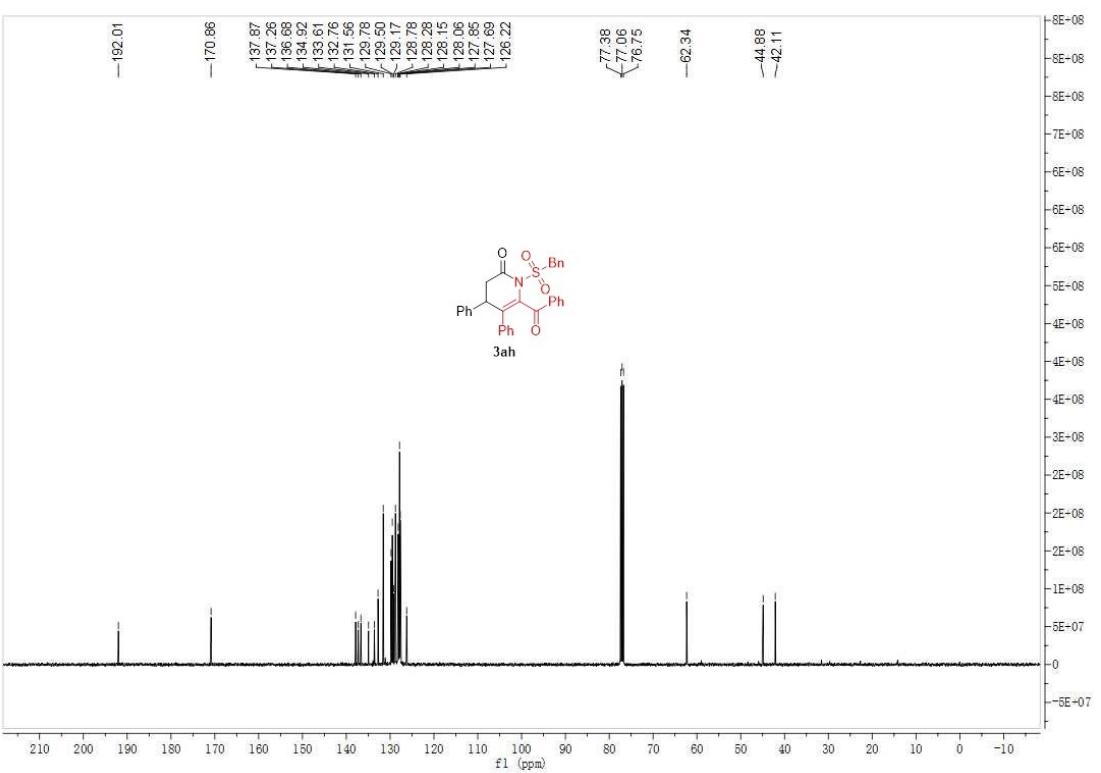
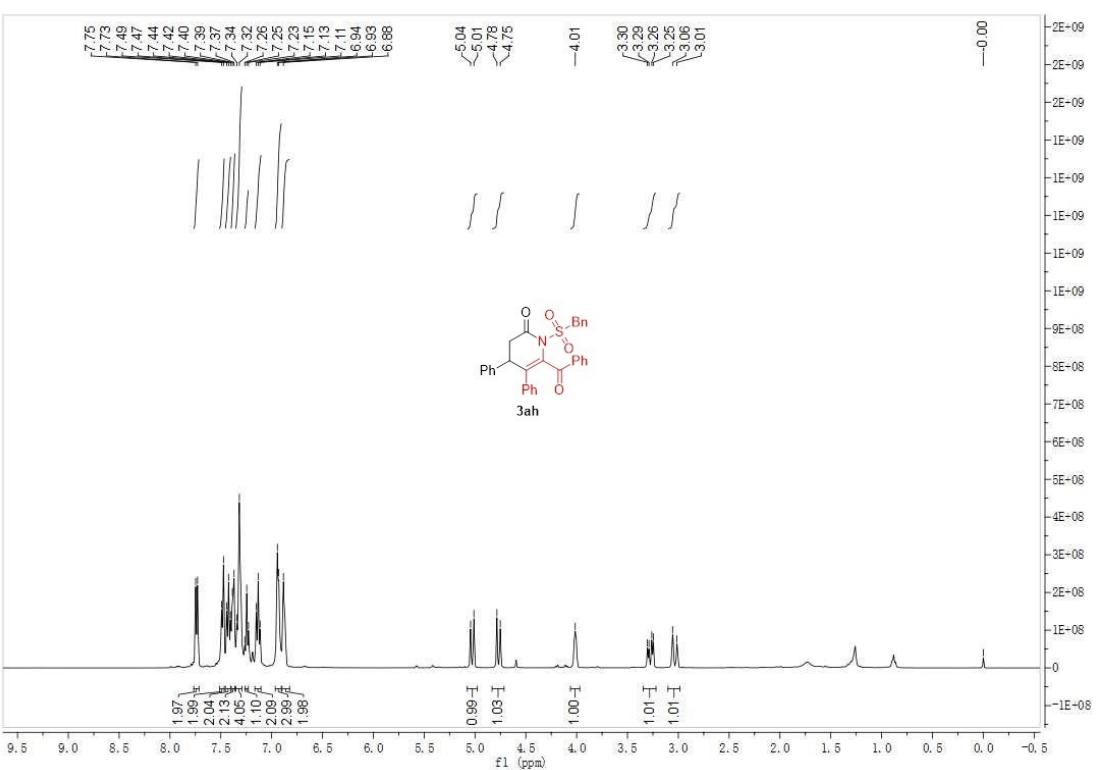


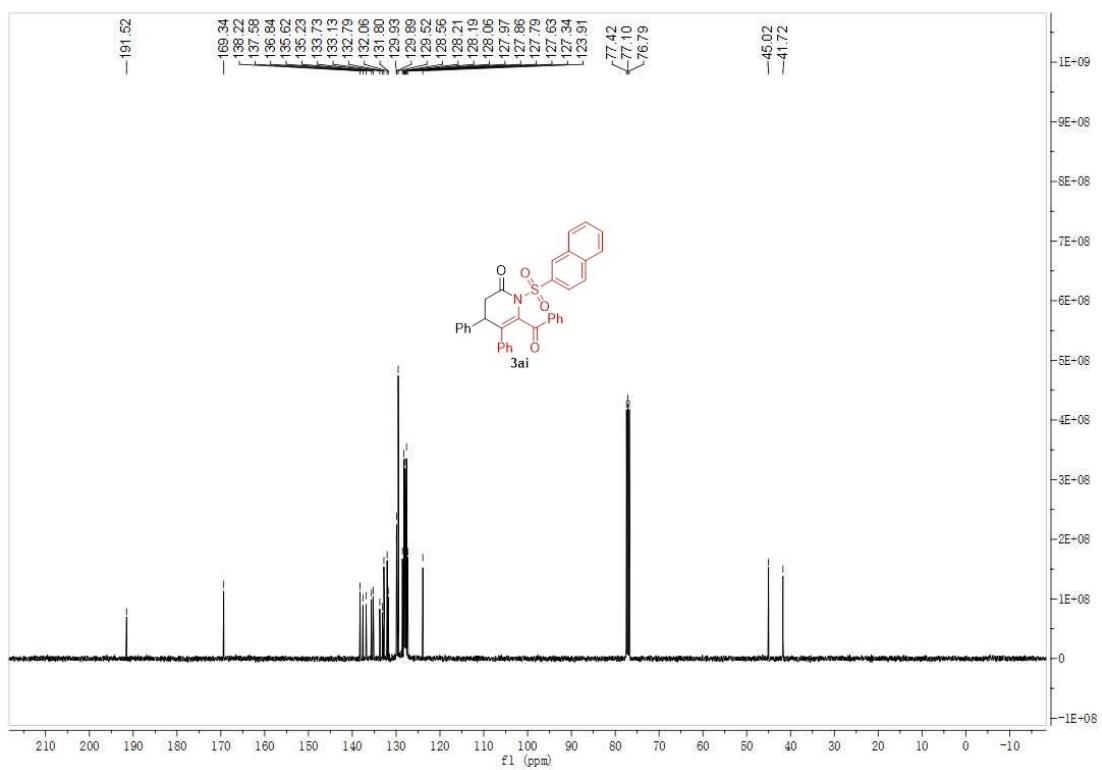
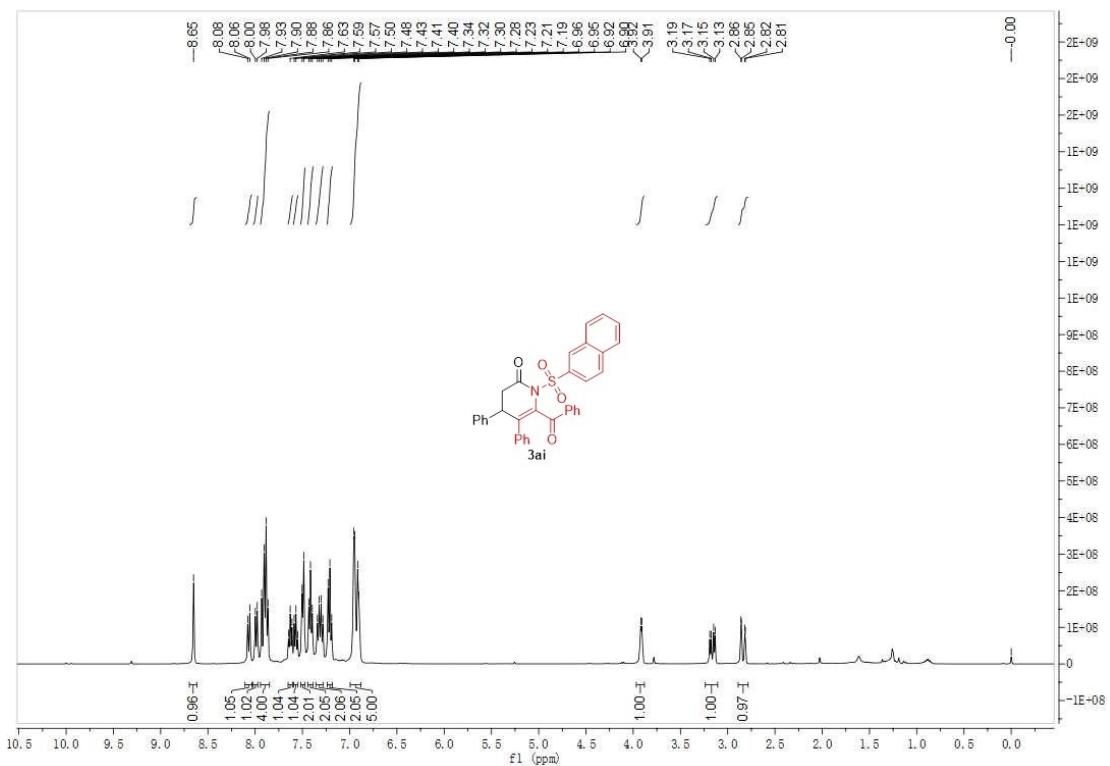


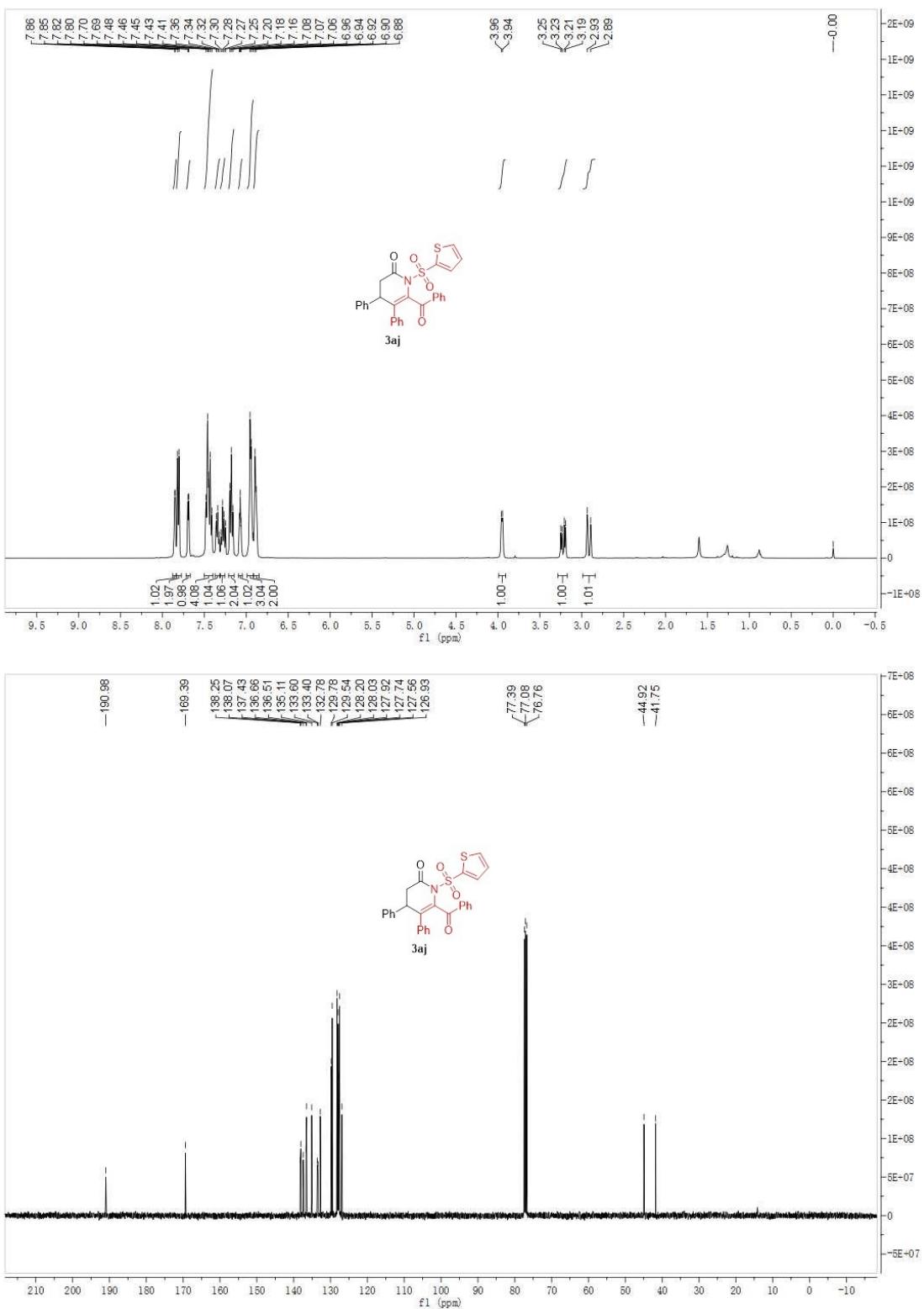


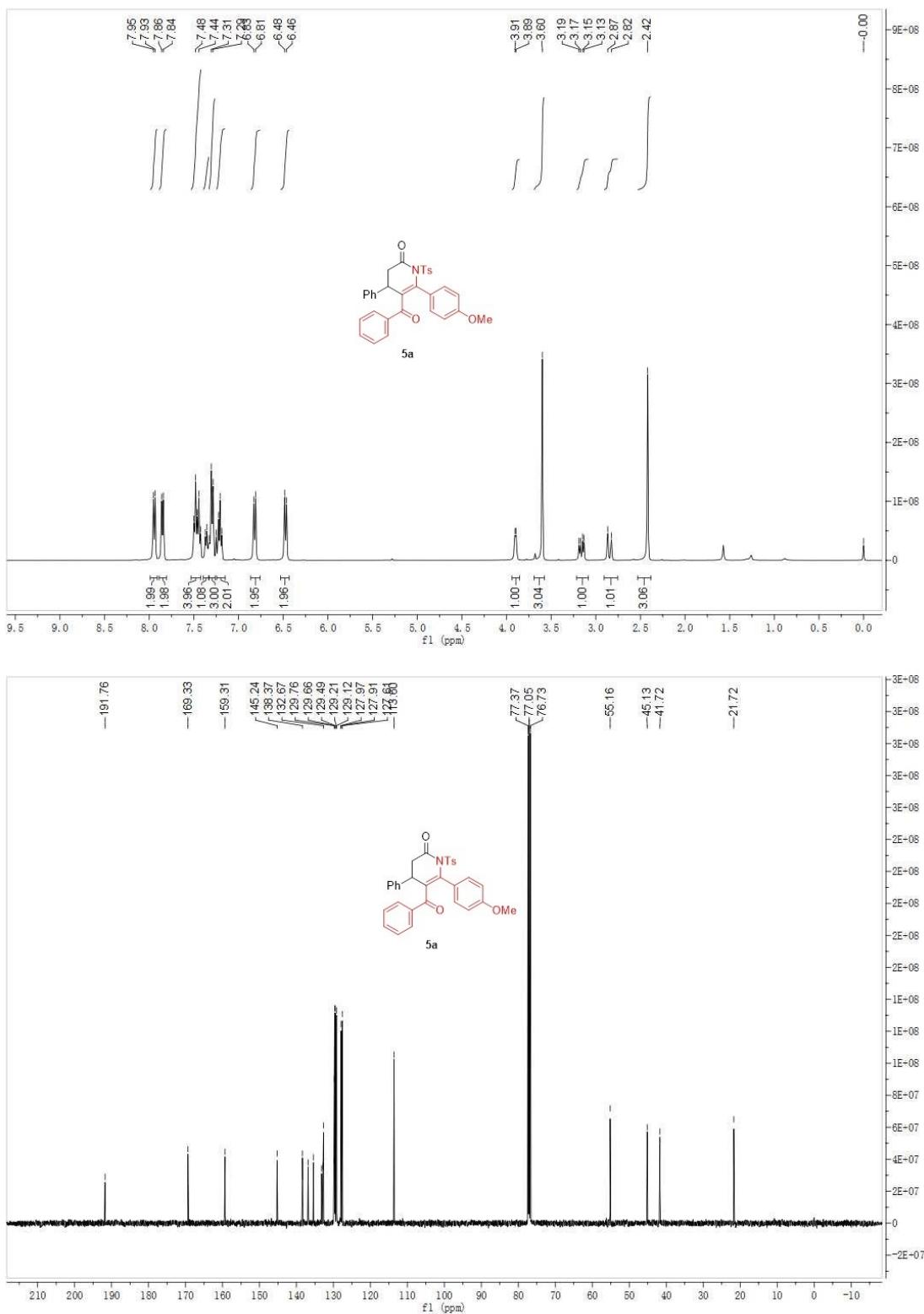


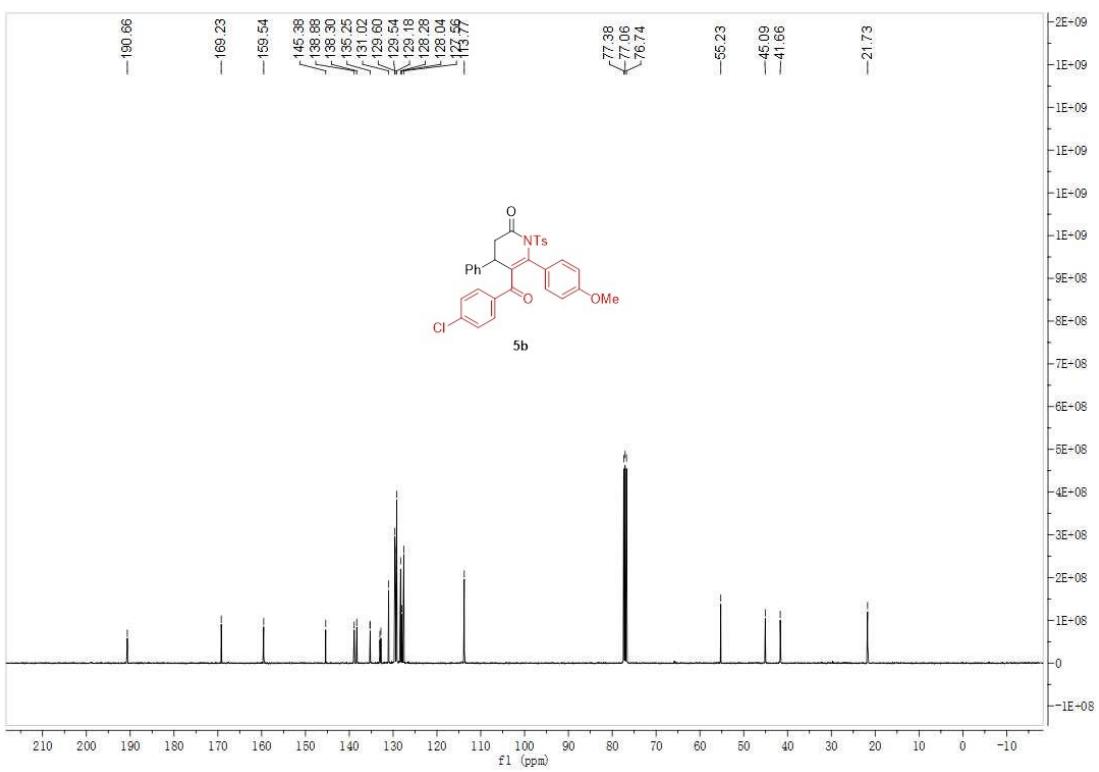
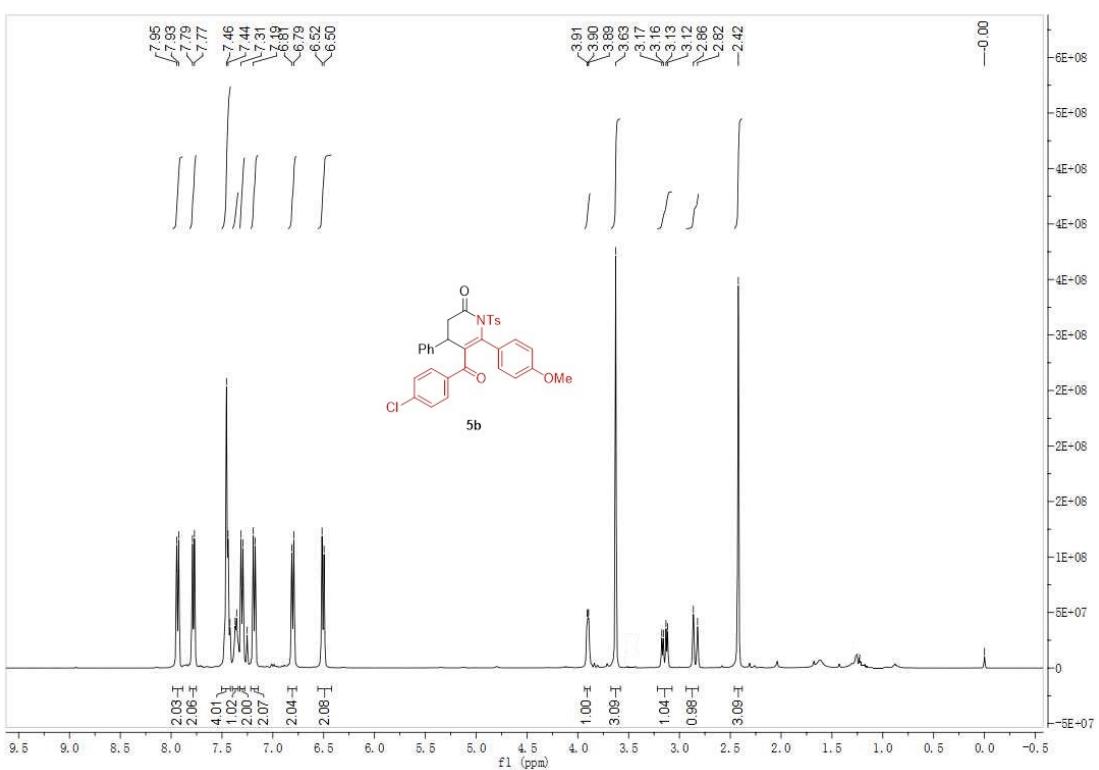


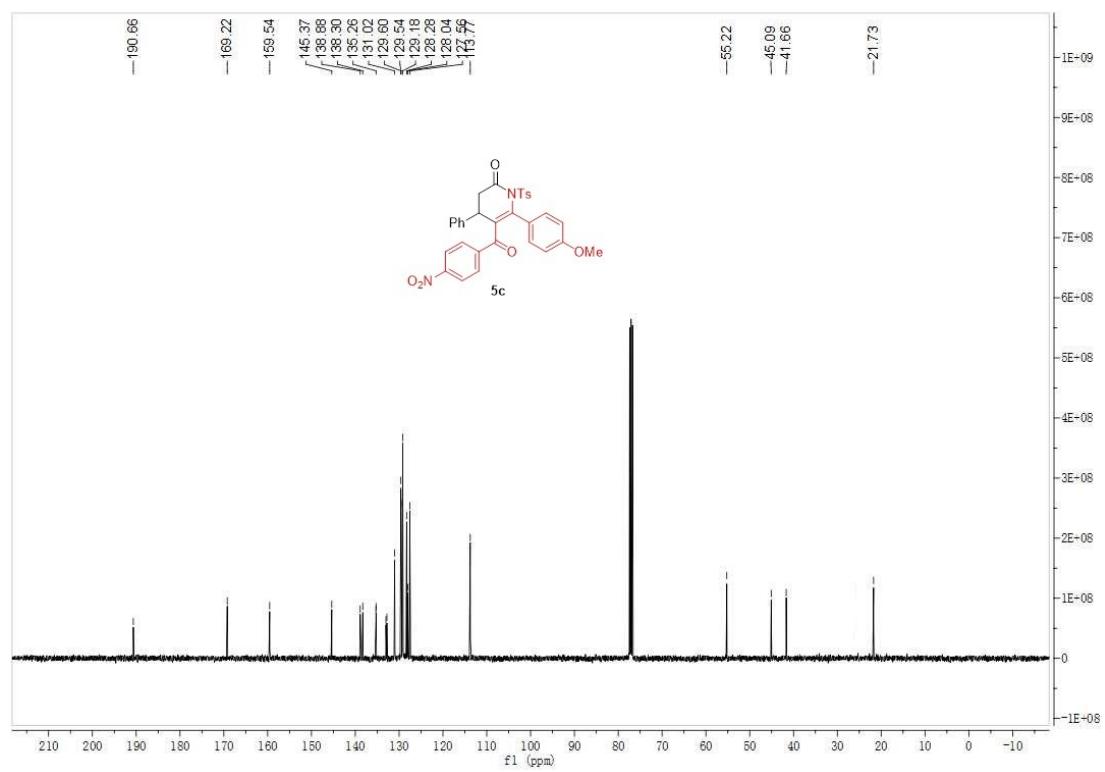
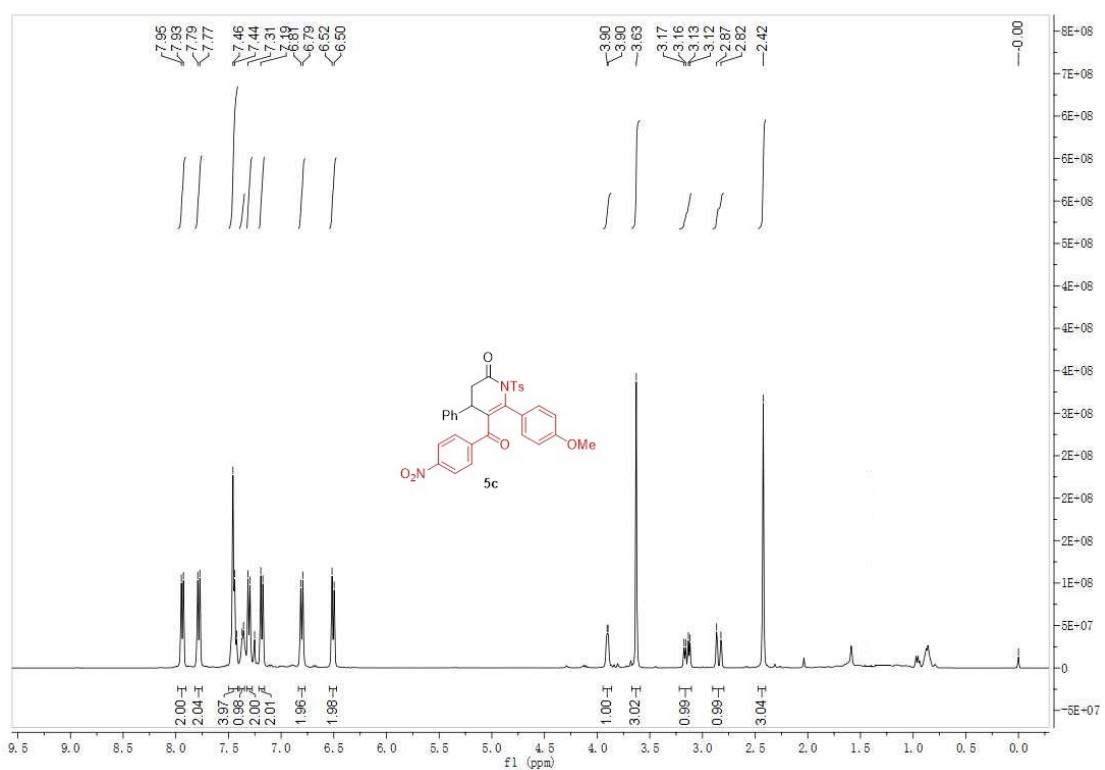


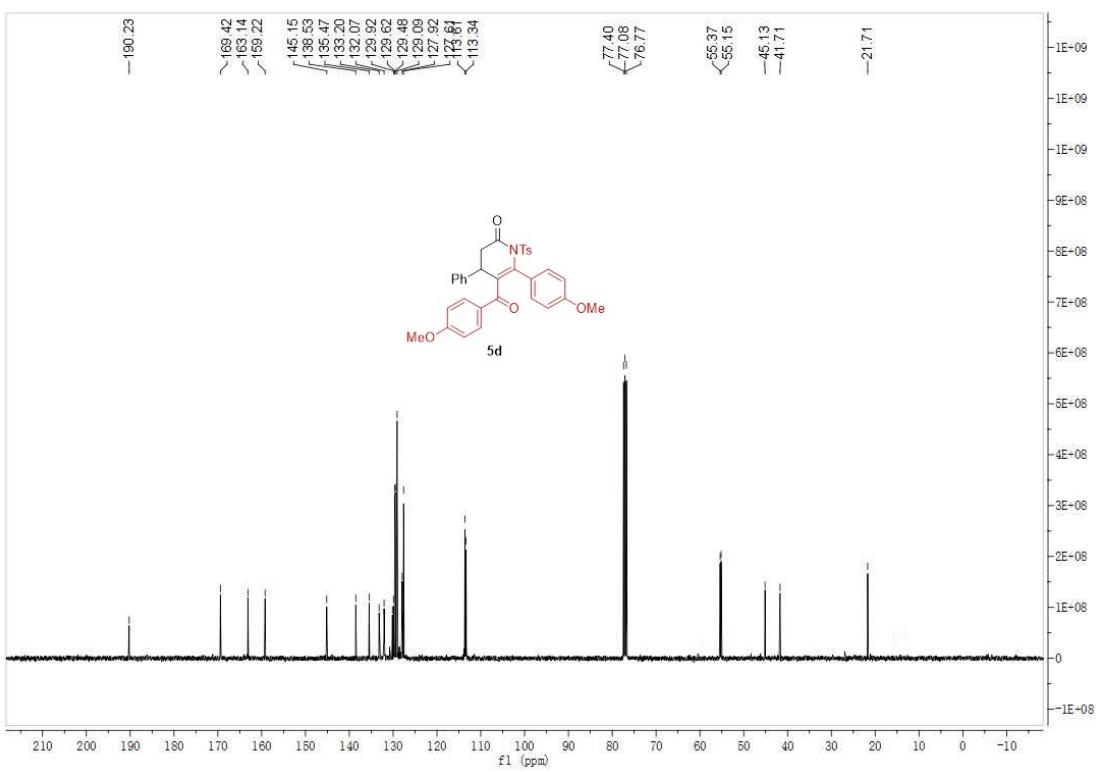
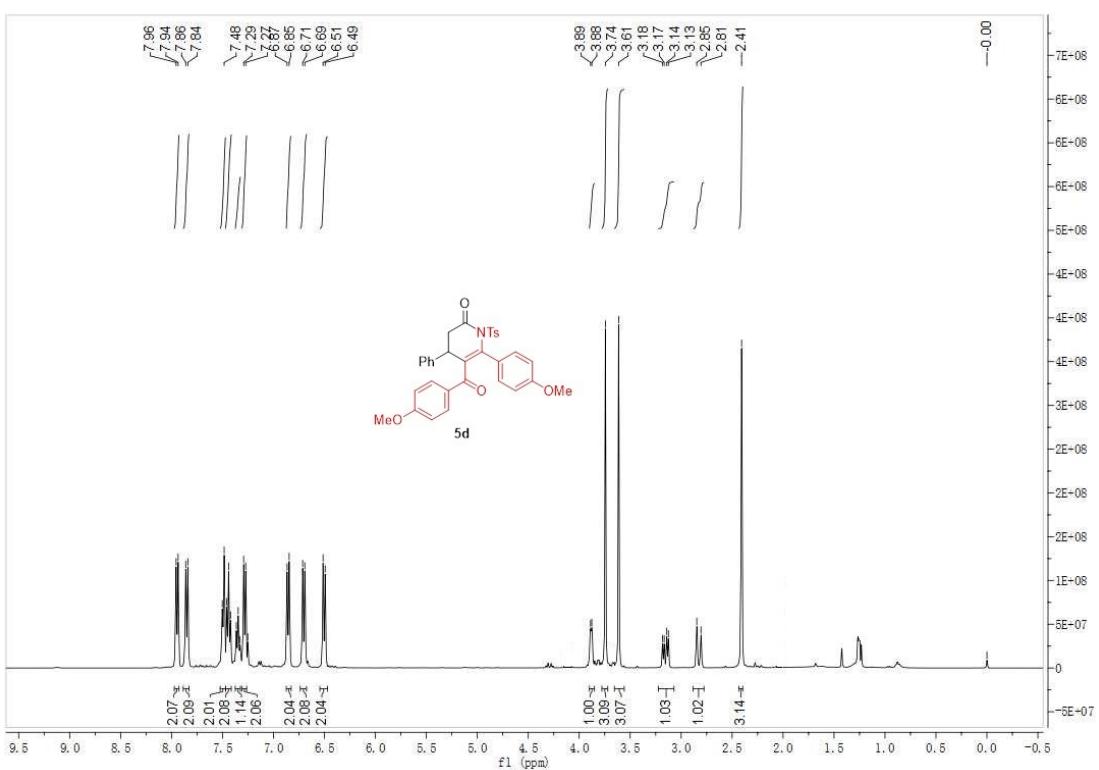


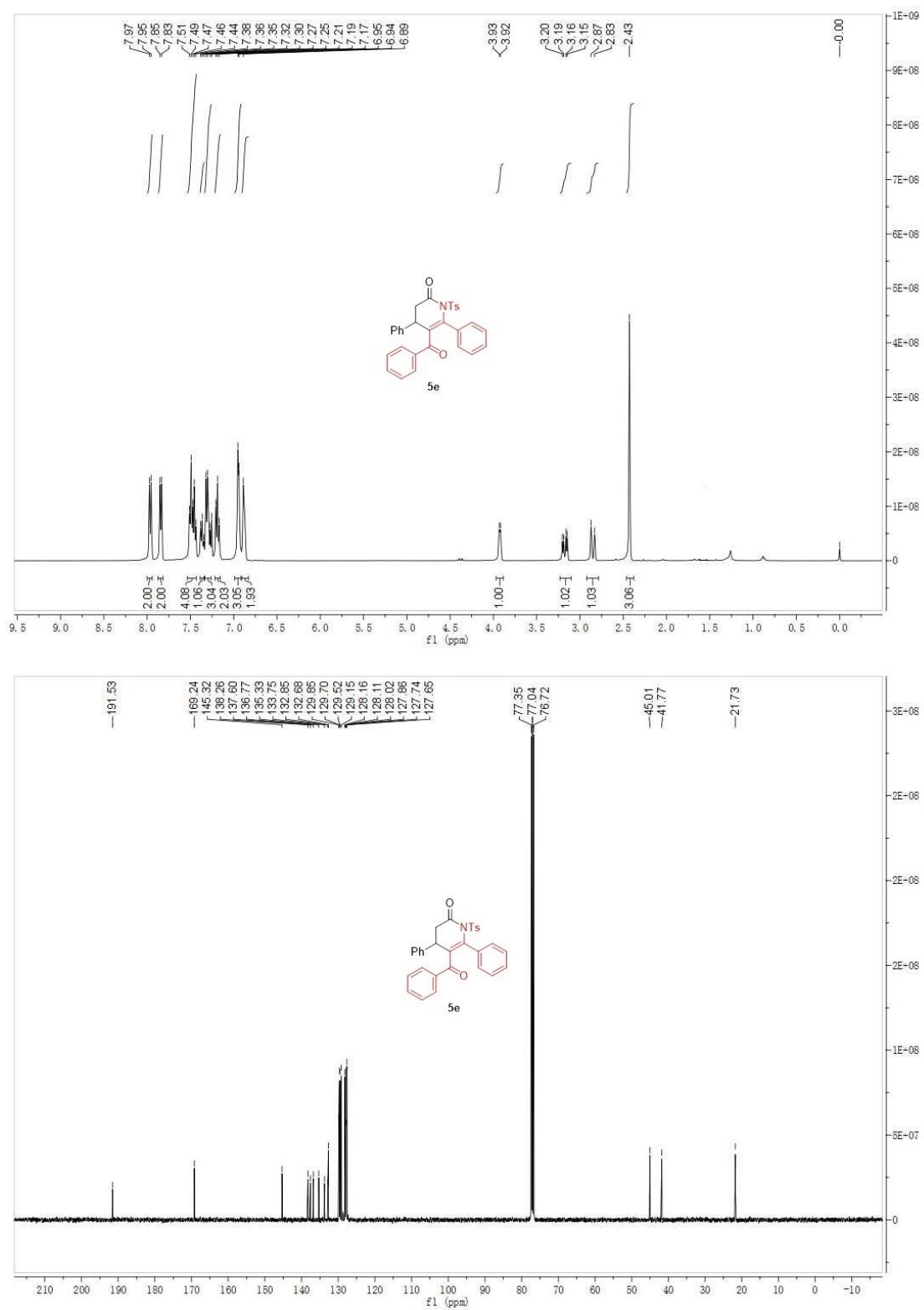


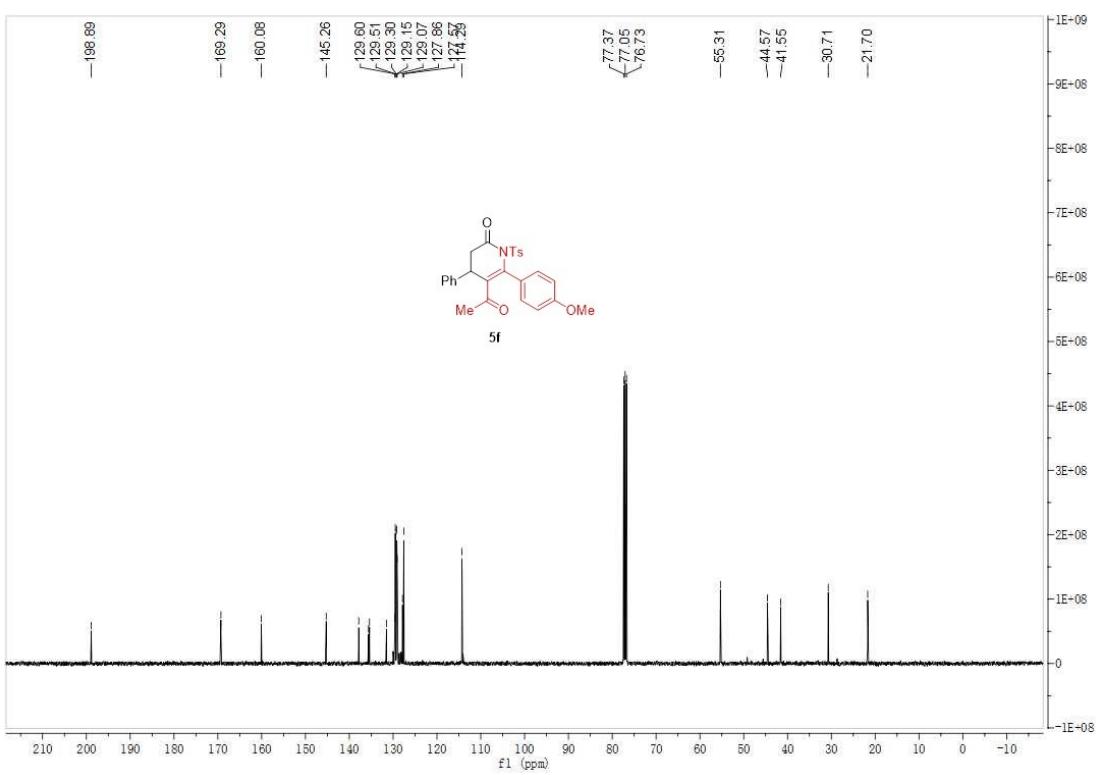
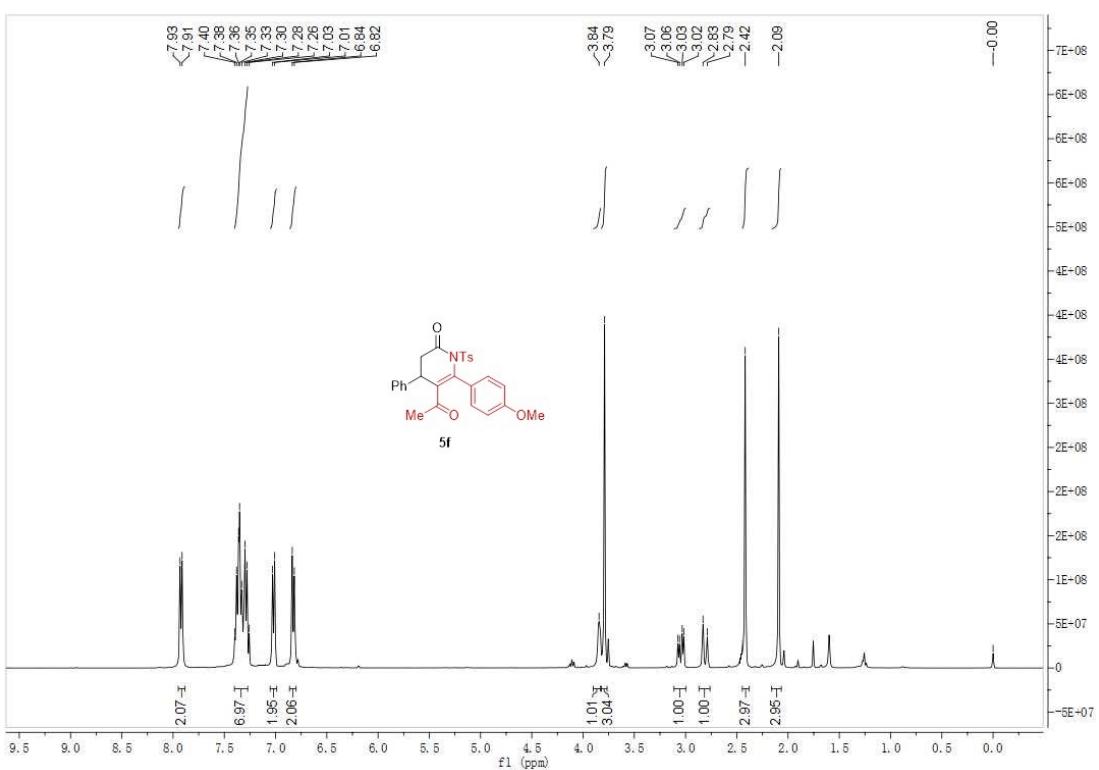


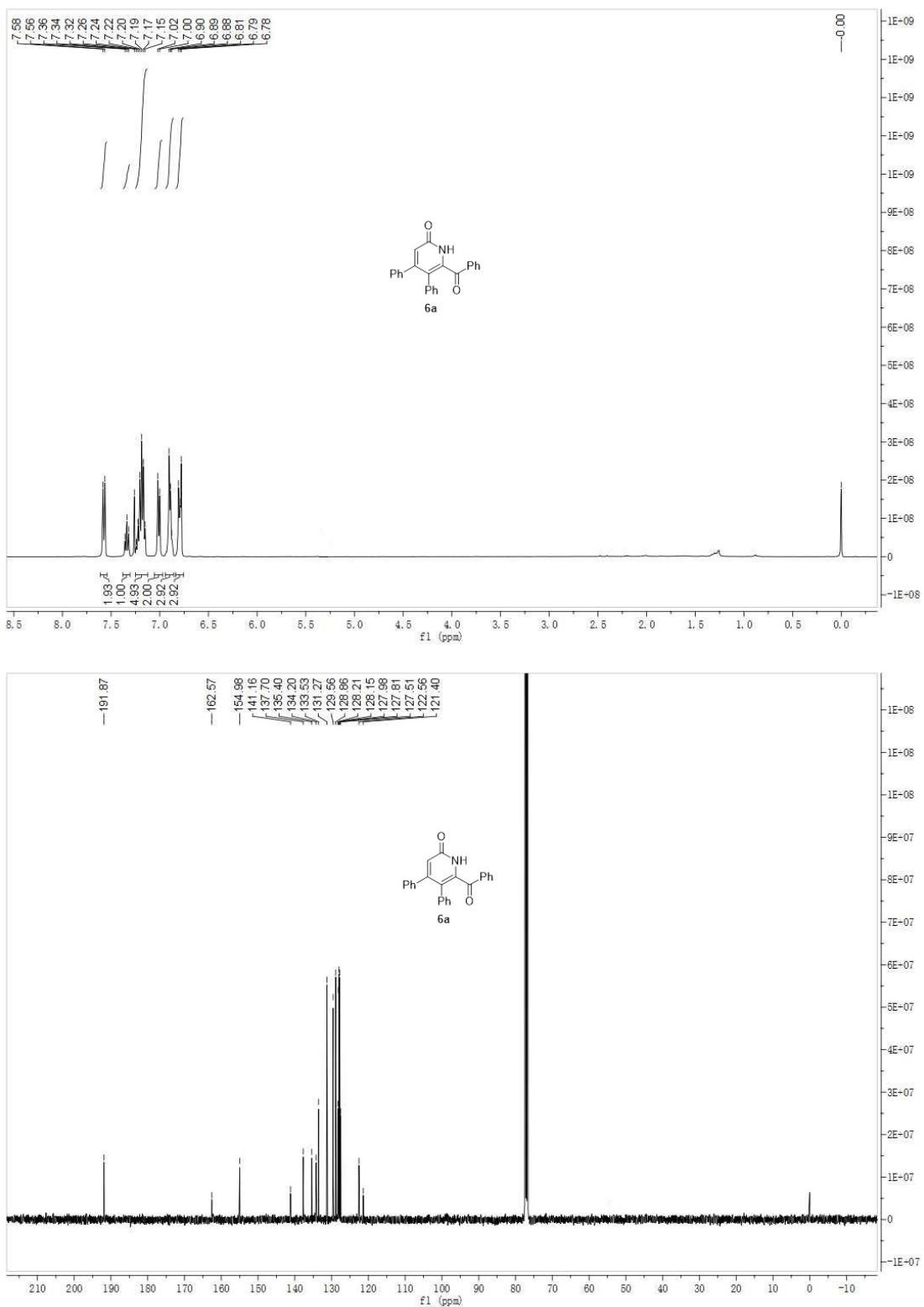


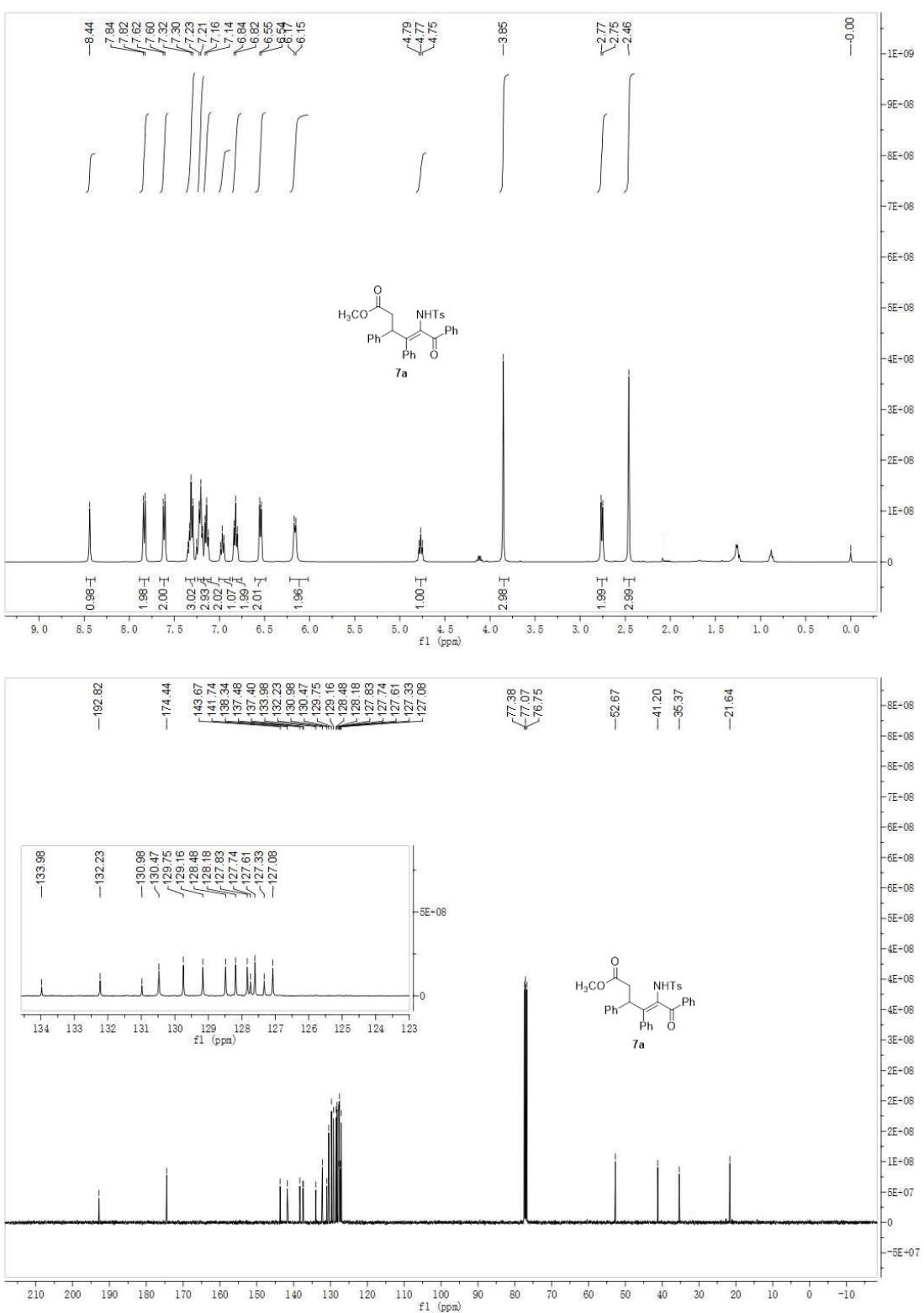


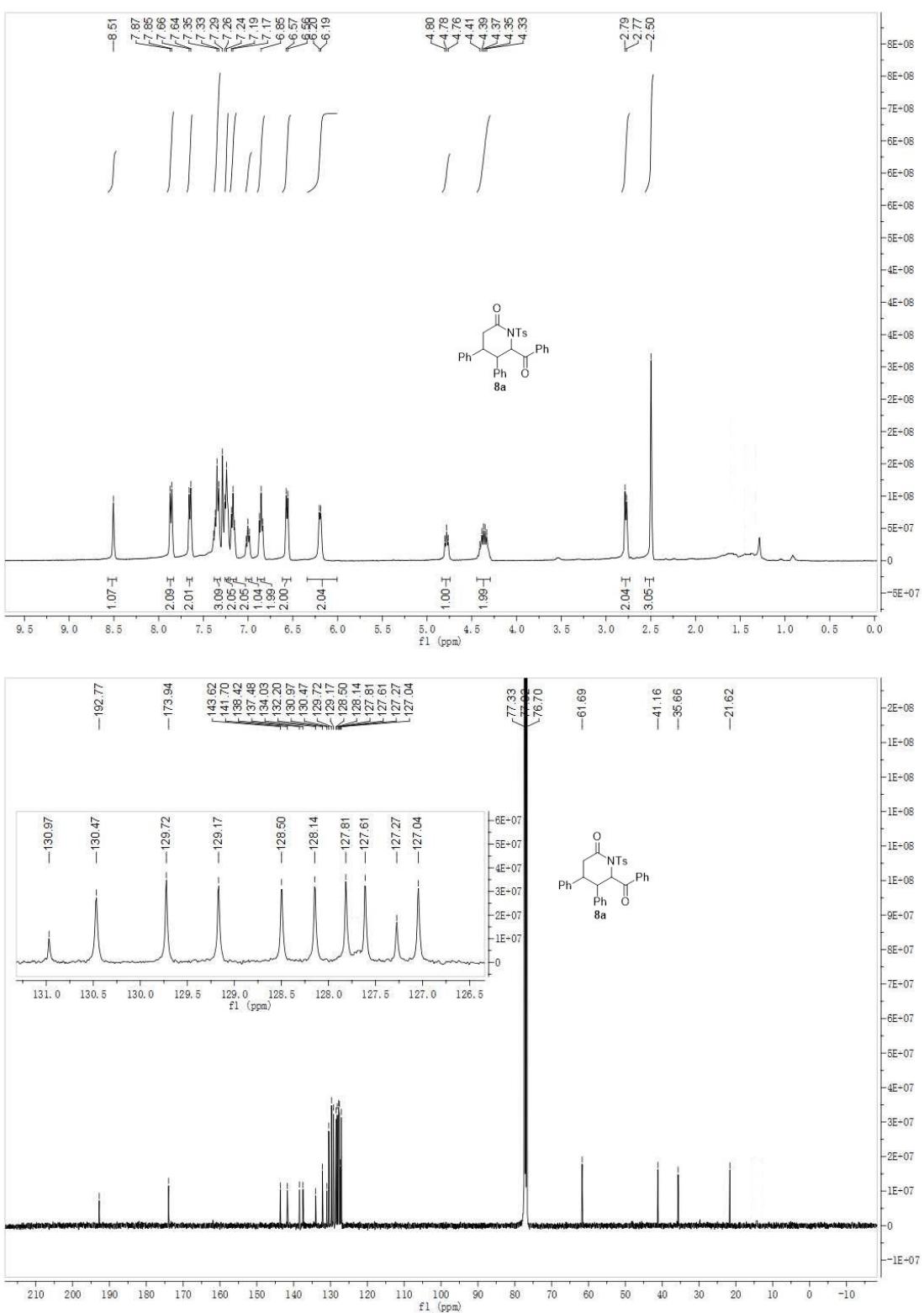






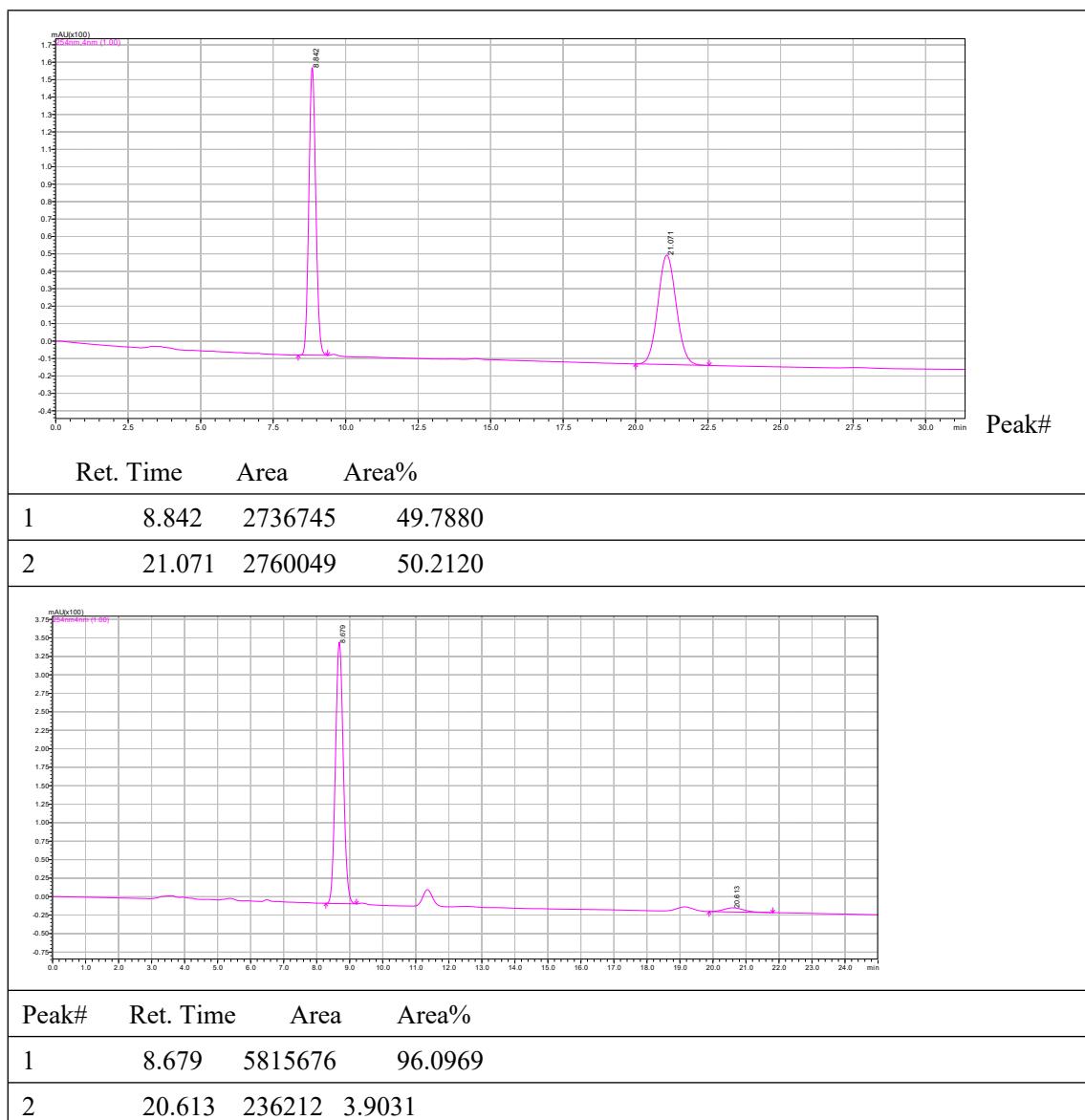




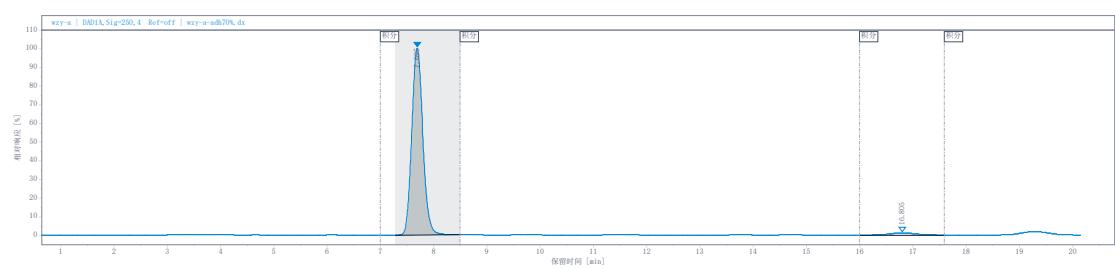
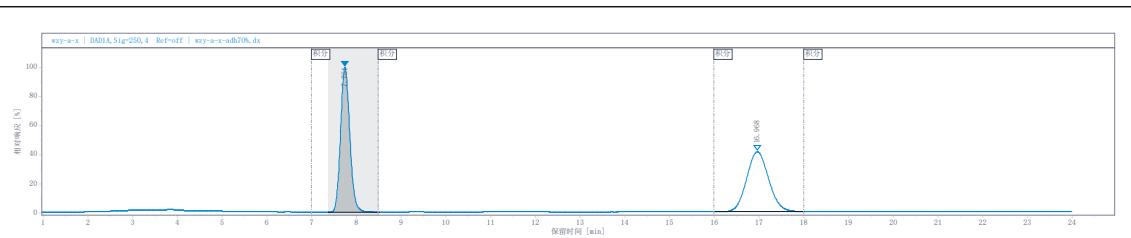


7 The HPLC Spectra

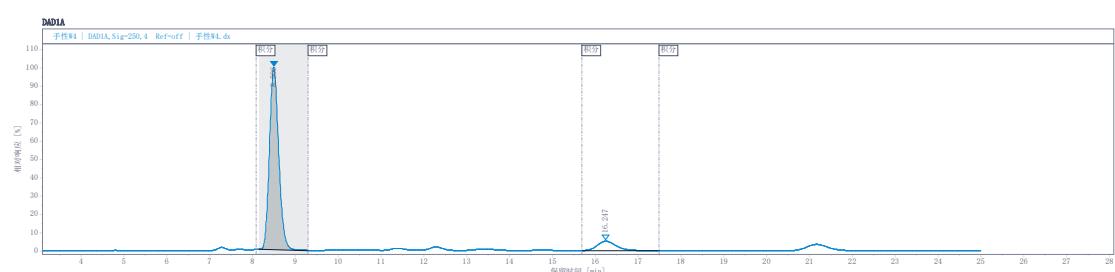
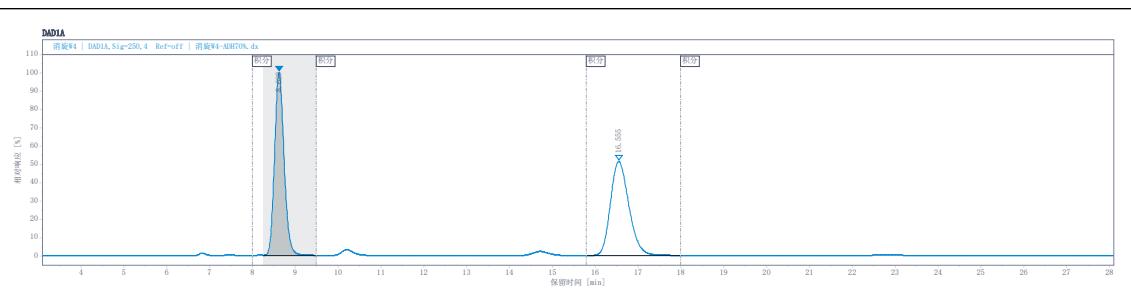
HPLC data of compound **3a**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.



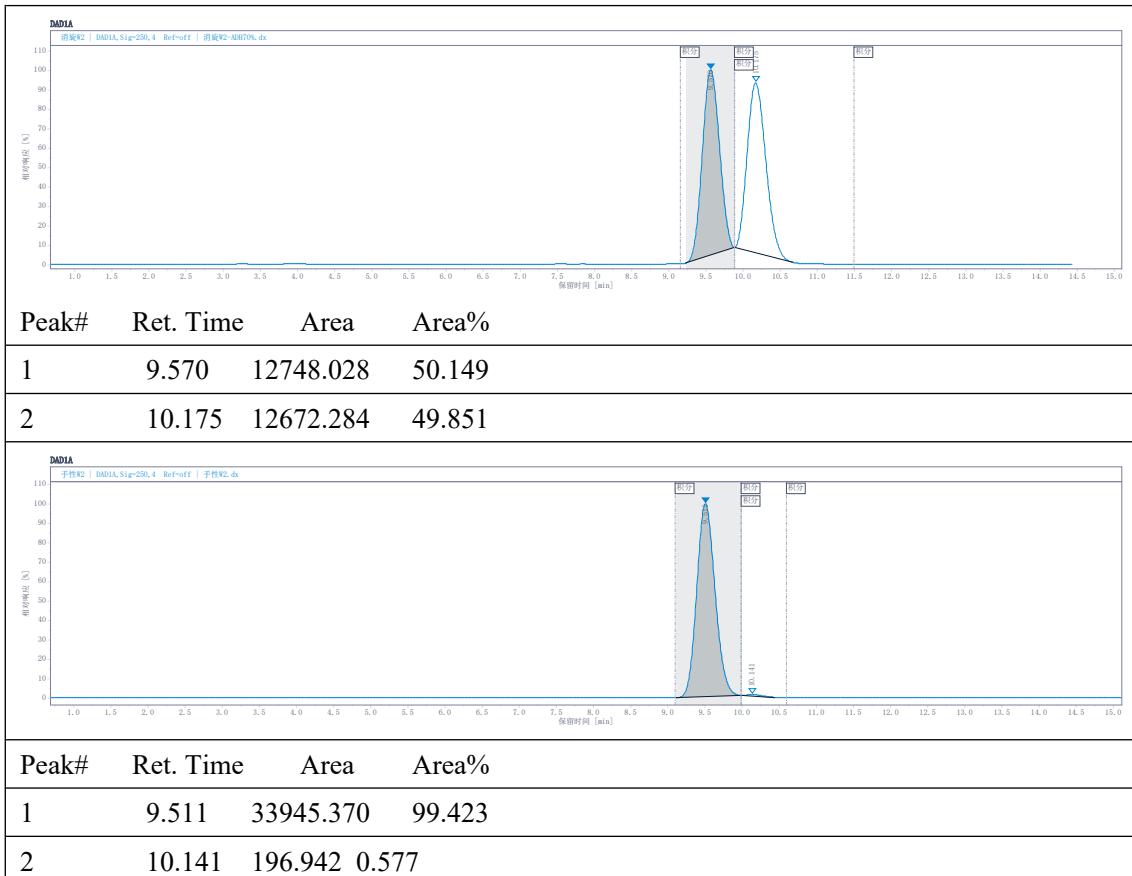
HPLC data of compound **3e**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.



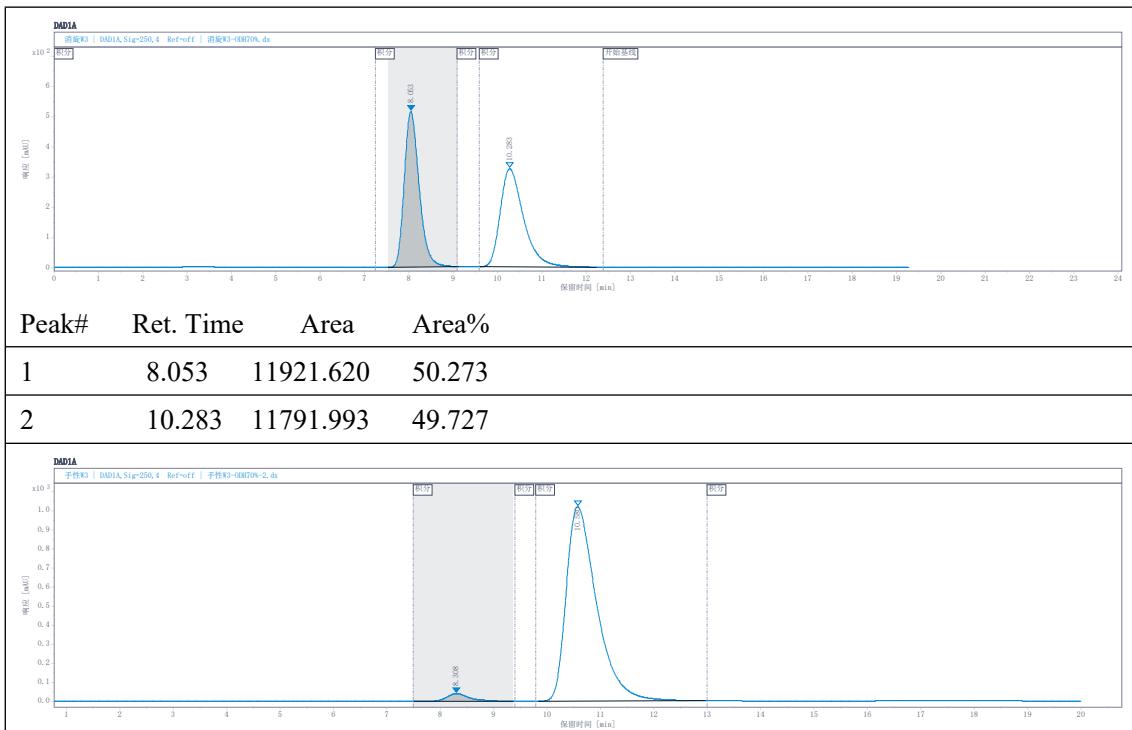
HPLC data of compound **3g**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.



HPLC data of compound **3j**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

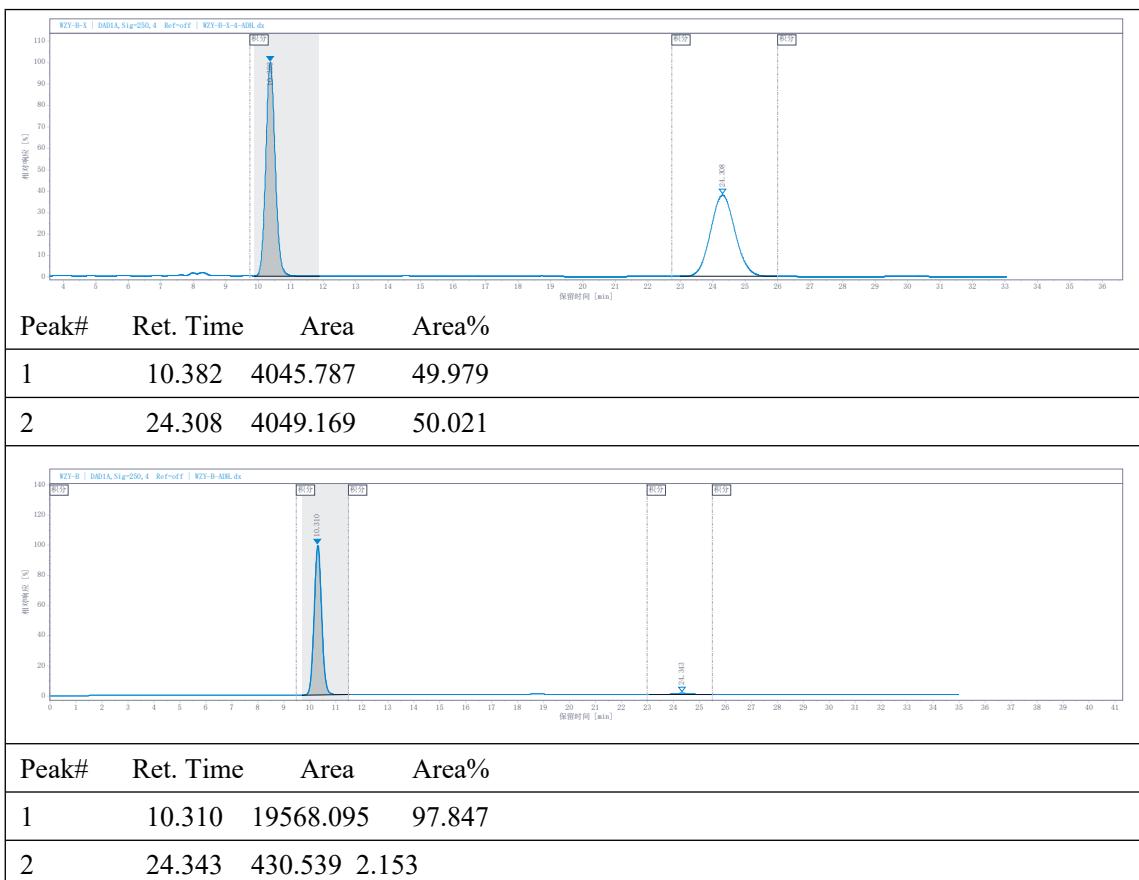


HPLC data of compound **3k**: OD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

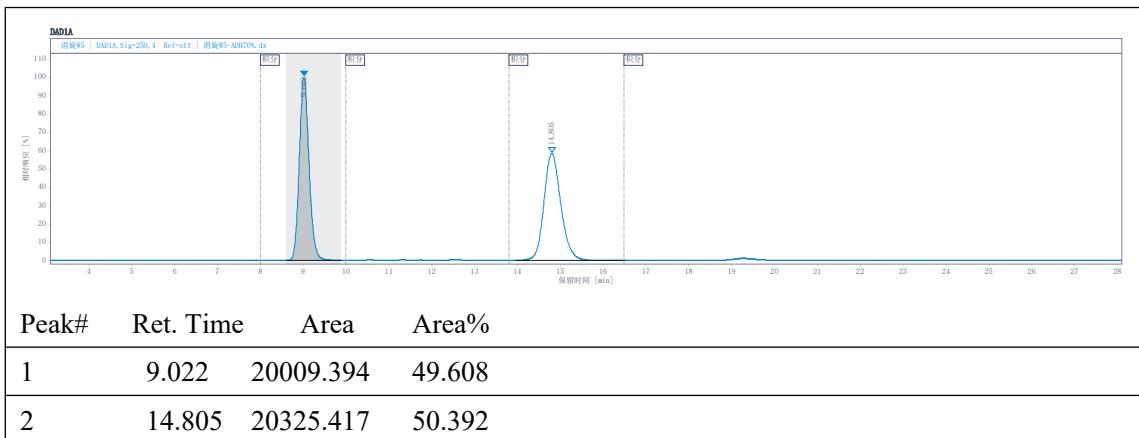


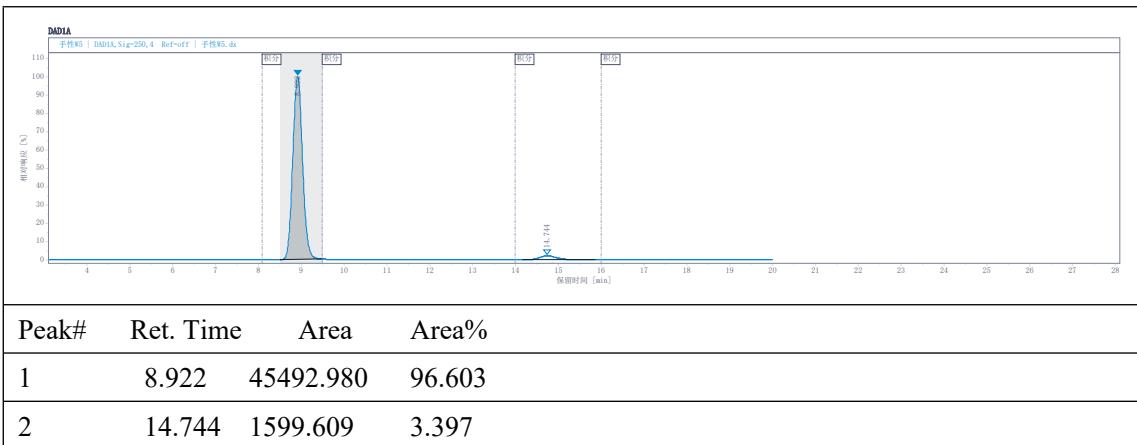
Peak#	Ret. Time	Area	Area%
1	8.308	1187.785	2.863
2	10.580	40297.930	97.137

HPLC data of compound **3p**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

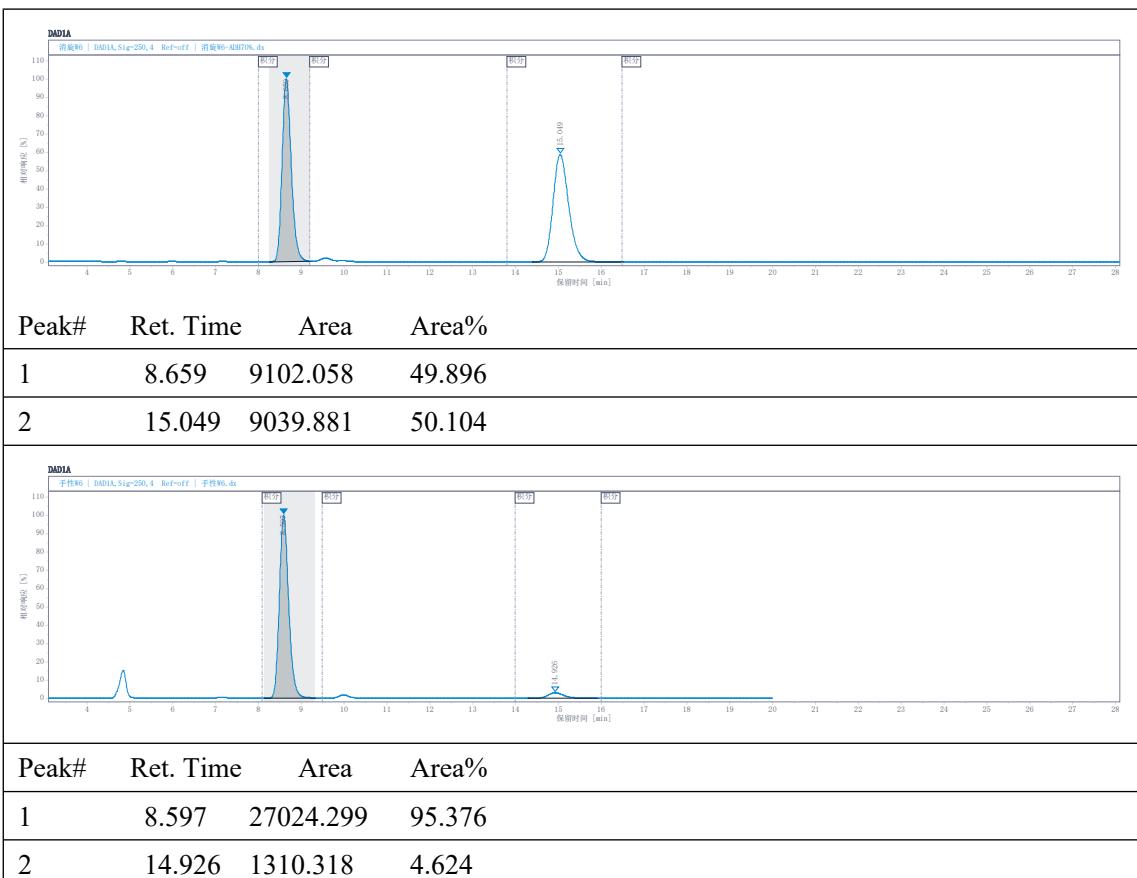


HPLC data of compound **3ad**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

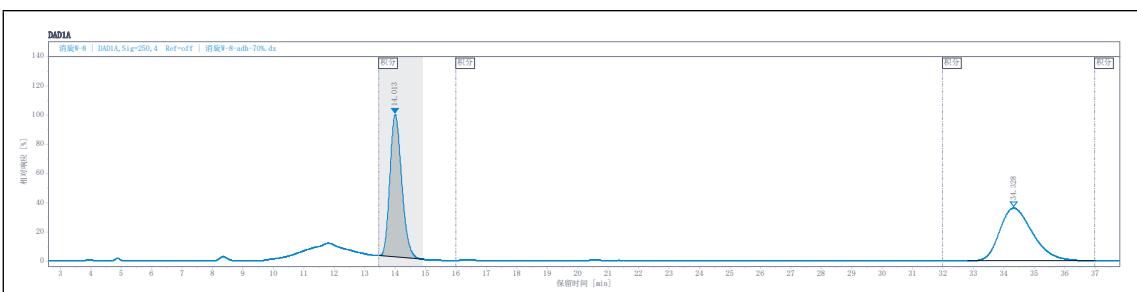


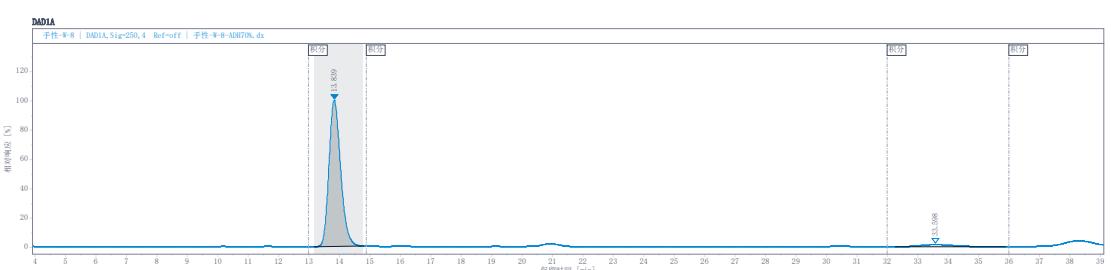


HPLC data of compound **3ag**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

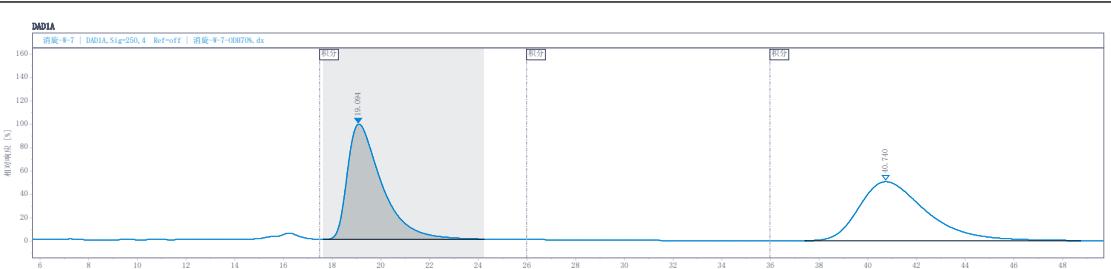


HPLC data of compound **5c**: AD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

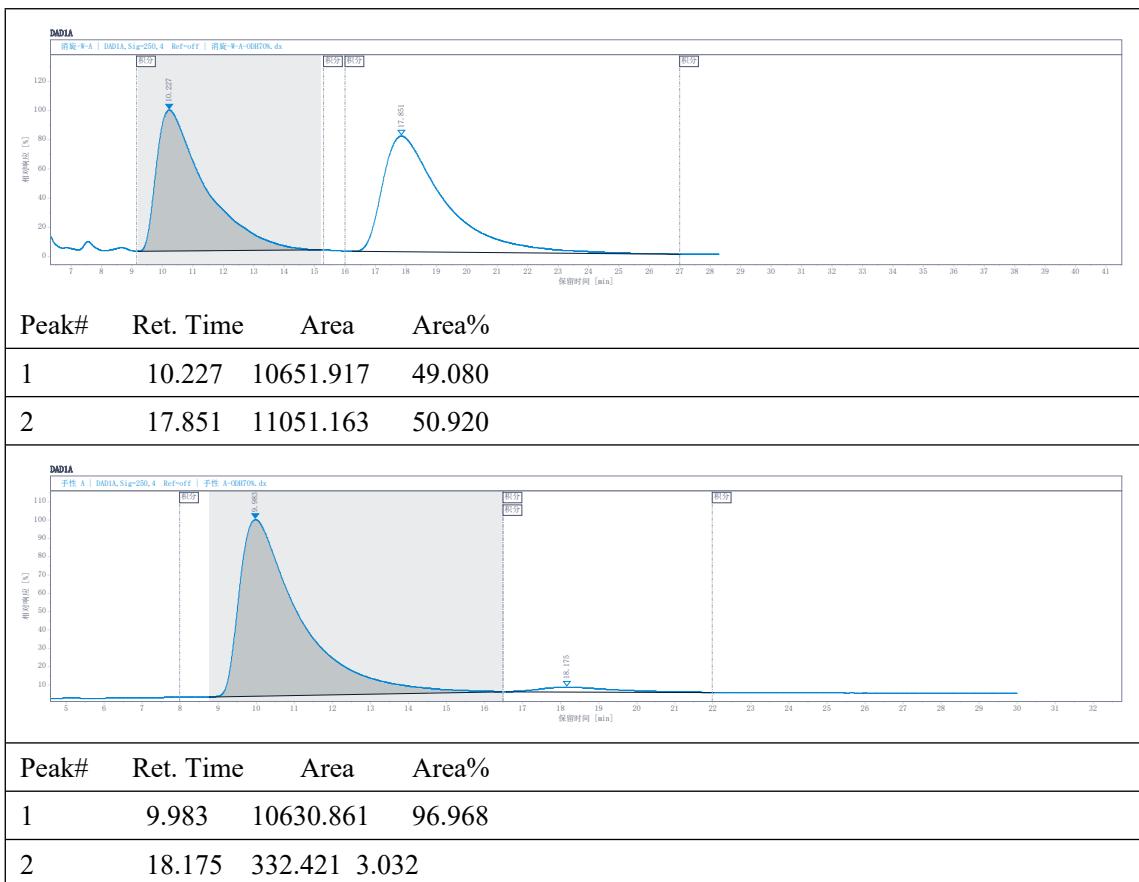


Peak#	Ret. Time	Area	Area%
1	14.013	12115.592	49.509
2	34.328	12355.706	50.491
			
Peak#	Ret. Time	Area	Area%
1	13.839	11619.161	96.659
2	33.598	401.629	3.341

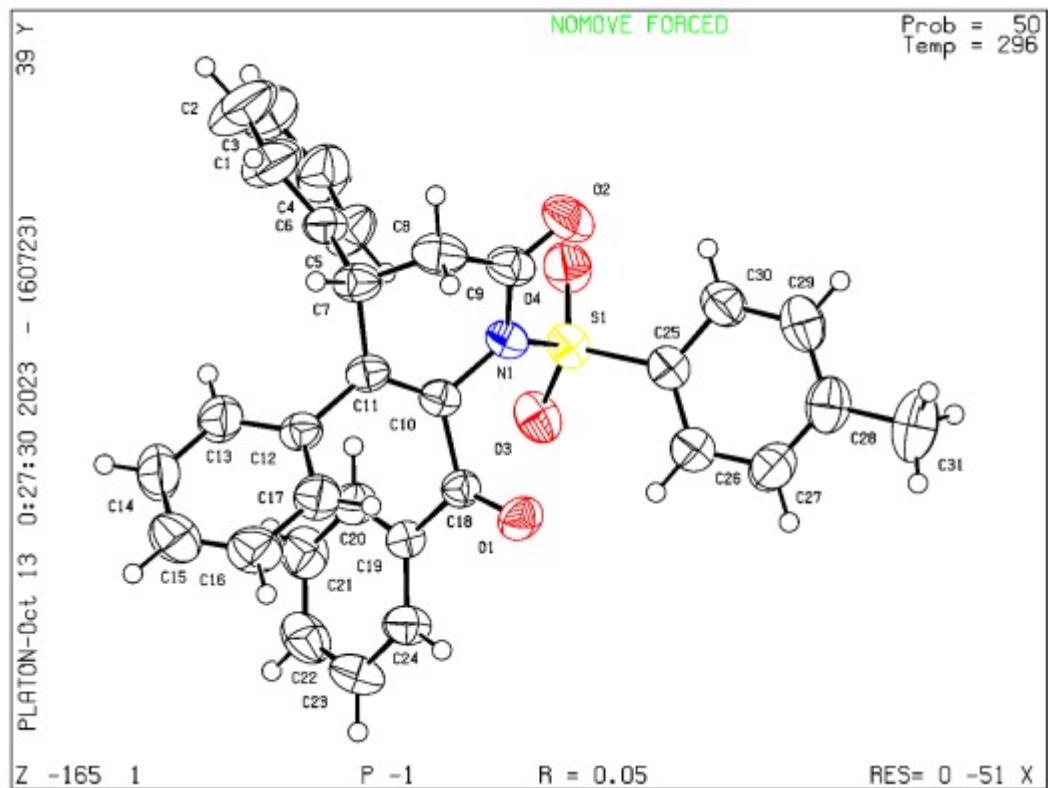
HPLC data of compound **5d**: OD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.

Peak#	Ret. Time	Area	Area%
1	19.094	6474.733	49.987
2	40.740	6478.154	50.013
			
Peak#	Ret. Time	Area	Area%
1	19.203	506.305	99.996
2	40.720	0.023	0.004

HPLC data of compound **8a**: OD-H column, 70:30 hexane:IPA, flow rate 1 mL/min, 254 nm, 25 °C.



8 The data of crystal structure



Bond precision: C-C = 0.0034 Å Wavelength=0.71073

Cell: a=8.671(2) b=10.576(2) c=14.370(3)
alpha=91.730(4) beta=99.279(4) gamma=92.540(3)

Temperature: 296 K

	Calculated	Reported
Volume	1298.3(5)	1298.3(5)
Space group	P -1	P -1
Hall group	-P 1	-P 1
Moiety formula	C ₃₁ H ₂₅ N O ₄ S	C ₃₁ H ₂₅ N O ₄ S
Sum formula	C ₃₁ H ₂₅ N O ₄ S	C ₃₁ H ₂₅ N O ₄ S
Mr	507.58	507.58
Dx, g cm ⁻³	1.298	1.298
Z	2	2
Mu (mm ⁻¹)	0.162	0.162
F000	532.0	532.0
F000'	532.48	
h,k,lmax	11,13,18	11,13,18
Nref	5934	5627
Tmin, Tmax	0.959, 0.965	0.703, 0.746
Tmin'	0.959	

Correction method= # Reported T Limits: Tmin=0.703 Tmax=0.746
AbsCorr = ?

Data completeness= 0.948 Theta(max)= 27.447

R(reflections)= 0.0486(4216) wR2(reflections)=
S = 1.042 Npar= 335 0.1352(5627)