

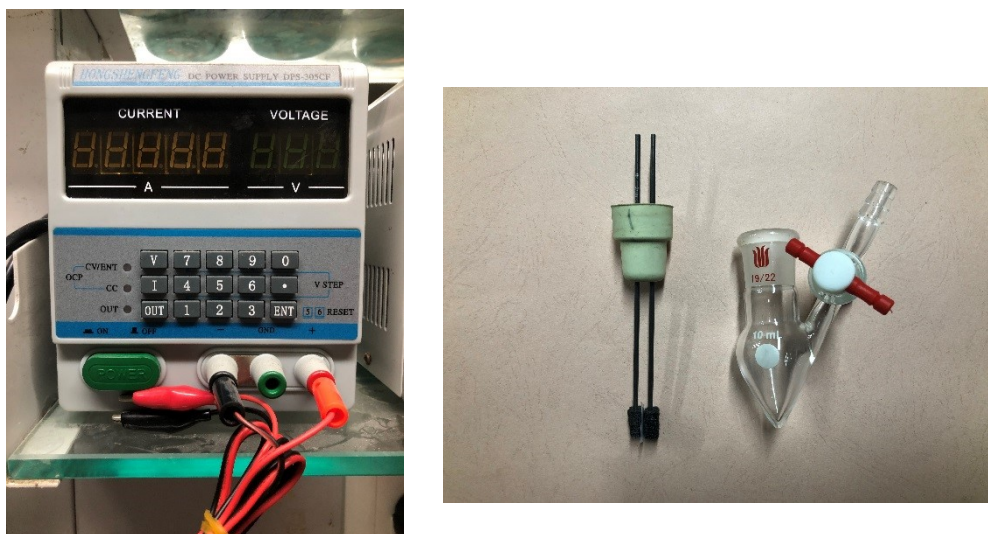
## Supporting Information

### Contents

Contents.....	1
General methods.....	2
Molecular structure and crystallographic data .....	3
Preparation of the starting materials .....	5
General procedure for the synthesis of compounds <b>3</b> .....	7
The effect of metals.....	8
The effect of solvent.....	9
Gram-scale reaction .....	9
Cyclic voltammetry study .....	10
XPS spectrum.....	11
Control experiments .....	12
The reaction promoted by external oxidants .....	13
The effect of water .....	14
The effect of electrolyte .....	14
DFT calculations .....	14
Late-stage transformations .....	26
Characterization of products .....	28
References .....	91

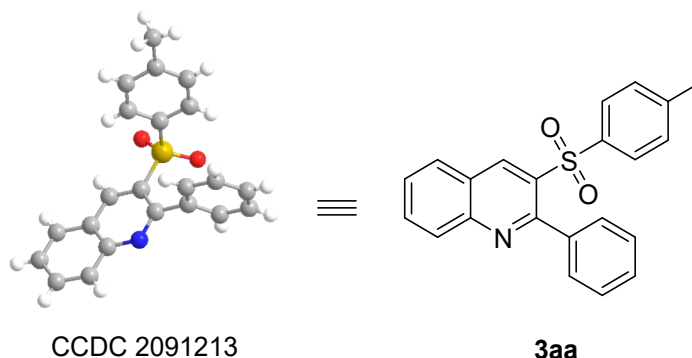
## General methods

Unless noted, all commercial reagents and solvents were used without further purification. NMR spectra were recorded in  $\text{CDCl}_3$  on 500 MHz spectrometers.  $^1\text{H}$  NMR chemical shifts ( $\delta$ ) are reported in parts per million relative to tetramethylsilane (0 ppm) or residual  $\text{CHCl}_3$  (7.26 ppm).  $^{13}\text{C}$  NMR chemical shifts are reported relative to the center line signal of the  $\text{CDCl}_3$  triplet at 77.0 ppm. The following abbreviations are used for multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, and m = multiplet. Mass spectra were obtained on an Ultima Global spectrometer with an ESI source. Silica gel (200–300 mesh) for column chromatography and silica GF254 for TLC were produced by Qingdao Marine Chemical Company (China). DC power supply DPS-305CF was used for all experiments.



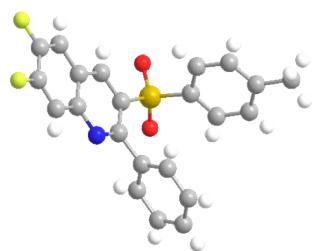
**Figure S1.** Components required for the reaction

## Molecular structure and crystallographic data



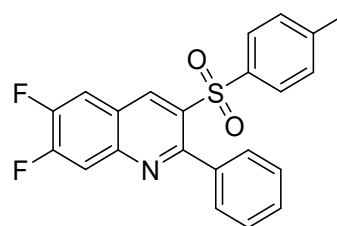
**Table 1** Crystal data and structure refinement for **3aa**

Empirical formula	C <sub>22</sub> H <sub>17</sub> NO <sub>2</sub> S
Formula weight	359.42
Temperature/K	170.01(10)
Crystal system	monoclinic
Space group	P2 <sub>1</sub> /c
a/Å	12.5262(4)
b/Å	5.4497(2)
c/Å	25.0014(9)
α/°	90
β/°	93.991(3)
γ/°	90
Volume/Å <sup>3</sup>	1702.56(10)
Z	4
ρ <sub>calc</sub> /cm <sup>3</sup>	1.402
μ/mm <sup>-1</sup>	0.207
F(000)	752.0
Crystal size/mm <sup>3</sup>	0.32 × 0.21 × 0.08
Radiation	Mo Kα (λ = 0.71073)
2θ range for data collection/°	3.266 to 61.58
Index ranges	-17 ≤ h ≤ 16, -7 ≤ k ≤ 7, -33 ≤ l ≤ 33
Reflections collected	16068
Independent reflections	4571 [R <sub>int</sub> = 0.0204, R <sub>sigma</sub> = 0.0210]
Data/restraints/parameters	4571/0/236
Goodness-of-fit on F <sup>2</sup>	1.081
Final R indexes [I >= 2σ (I)]	R <sub>1</sub> = 0.0353, wR <sub>2</sub> = 0.0989
Final R indexes [all data]	R <sub>1</sub> = 0.0409, wR <sub>2</sub> = 0.1020
Largest diff. peak/hole / e Å <sup>-3</sup>	0.37/-0.32



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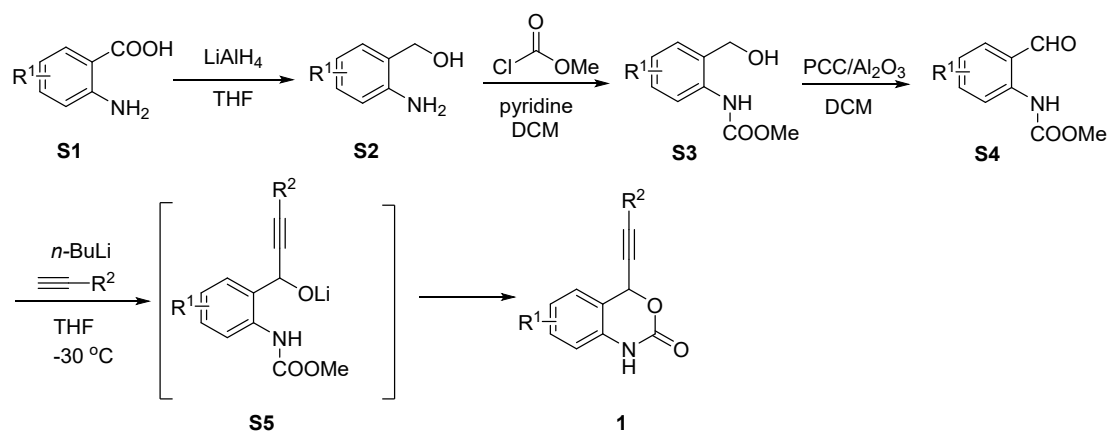
**3ha**

**Table 2** Crystal data and structure refinement for **3ha**

Empirical formula	C <sub>22</sub> H <sub>15</sub> F <sub>2</sub> NO <sub>2</sub> S
Formula weight	395.41
Temperature/K	169.99(11)
Crystal system	monoclinic
Space group	P2 <sub>1</sub> /c
a/Å	12.72729(8)
b/Å	5.56880(5)
c/Å	25.1187(2)
α/°	90
β/°	92.8360(7)
γ/°	90
Volume/Å <sup>3</sup>	1778.12(2)
Z	4
ρ <sub>calc</sub> /cm <sup>3</sup>	1.477
μ/mm <sup>-1</sup>	1.965
F(000)	816.0
Crystal size/mm <sup>3</sup>	0.31 × 0.18 × 0.11
Radiation	CuKα (λ = 1.54184)
2θ range for data collection/°	6.954 to 151.016
Index ranges	-12 ≤ h ≤ 15, -6 ≤ k ≤ 6, -31 ≤ l ≤ 31
Reflections collected	23427
Independent reflections	3571 [R <sub>int</sub> = 0.0223, R <sub>sigma</sub> = 0.0132]
Data/restraints/parameters	3571/0/254
Goodness-of-fit on F <sup>2</sup>	1.033
Final R indexes [I >= 2σ (I)]	R <sub>1</sub> = 0.0336, wR <sub>2</sub> = 0.0894
Final R indexes [all data]	R <sub>1</sub> = 0.0342, wR <sub>2</sub> = 0.0899
Largest diff. peak/hole / e Å <sup>-3</sup>	0.23/-0.41

## Preparation of the starting materials

### Preparation of substrates 1<sup>1</sup>



**S1 to S2:** To a solution of substituted 2-amino-benzoic acid **S1** (5 mmol) in dry THF (4 mL) was added dropwise a solution of LiAlH<sub>4</sub> (10 mmol, 3.795 g) in THF (1M, 10 mL) while the temperature was maintained at 0 °C. The resulting mixture was allowed to warm to room temperature and was stirred for 2 h. The mixture was then hydrolyzed by addition of water (1 mL) and 5% NaOH (1.5 mL). The resulting suspension was filtered, and the precipitate was washed with ethyl acetate. The combined organic layer was evaporated. The residue was recrystallized from ethyl acetate and petroleum ether, affording the corresponding alcohols **S2** quantitatively as a white or pale yellow solid.

**S2 to S3:** To a solution of above crude product of **S2** in DCM (10 mL), pyridine (5.5 mmol) at 0 °C was added methyl chloroformate (5.5 mmol) dropwise. The resulting mixture was stirred at room temperature for 3 h. The reaction was monitored by TLC (PE/EA = 4:1). The reaction was diluted with brine (10 mL) and extracted with DCM (3×10 mL). The combined organic layer was dried with Na<sub>2</sub>SO<sub>4</sub>, and evaporated under reduced pressure. The obtained crude product **S3** was used directly without further purification.

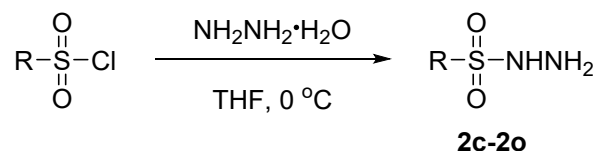
**S3 to S4:** To a solution of above crude product of benzyl carbamate **S3** in DCM (15 mL) was added PCC (1.2 g) and Al<sub>2</sub>O<sub>3</sub> (3 g). The reaction mixture was stirred at room temperature for 2 h and then filtered through a bed of silica. The filtrate was

concentrated under reduced pressure and purified by column chromatography on silicagel (DCM/PE = 1:1) to give product **S4** (75% for 2 steps) as a white solid.

**S4** to **1**: Under nitrogen atmosphere, to a solution of substituted terminal alkyne (5 mmol) in dry THF (4 mL) was added dropwise a solution of *n*-BuLi (2 mL, 2.5 M in *n*-Hexane) at -30 °C, and the reaction system was stirred for 30 minutes at the same temperature. The mixture was then added dropwise a solution of **S4** (4 mmol, 2 M in THF). The resulting mixture was allowed to warm to room temperature and was stirred for 12 h. Then, the mixture was hydrolyzed by addition of water (1 mL). The reaction was diluted with brine (10 mL) and extracted with DCM (3×10 mL). The combined organic layer was dried with Na<sub>2</sub>SO<sub>4</sub>, and evaporated under reduced pressure. The crude product was purified by column chromatography on silica gel (PE/EA = 4:1) to give product **1** as a white solid.

### Preparation of substrates **2<sup>2</sup>**

The compound **2b** and **2d** – **2k** according to previously described methods.



The hydrazine hydrate (80%, 30 mmol) was added dropwise into the solution of sulfonyl chloride (10 mmol) in THF (50 mL) under air at 0 °C. Subsequently, the mixture was further stirred at 0 °C for 5 minutes. After the completion of the reaction, the residue was extracted with dichloromethane, and the combined organic layer was washed with water, and brine, and dried over MgSO<sub>4</sub>. Concentration in vacuum followed by silicael column purification with petroleum ether/ethyl acetate eluent gave the desired products **2c-2o**.

## Faradaic efficiency

When the constant voltage of 3.9 V was used, the change of current with time was recorded in detail, and the change of current with time during the reaction was drawn (Figure S2). Thus, the area of the curve obtained by integration is 230.25, that is,  $Q_{\text{exp}}=230.25$ . Based on the formula,<sup>3</sup> the Faraday efficiency was calculated at 27.6%.

$$n = \frac{Q_{\text{theo}}}{Q_{\text{exp}}} \times 100\%$$

$$Q_{\text{theo}} = z_p \cdot N_p \cdot F$$
$$Q_{\text{exp}} = I \cdot t$$

n: Faradaic efficiency in percent [%],  $Q_{\text{theo}}$ : theoretical charge in Coulomb [C],  $Q_{\text{exp}}$ : experimental charge in Coulomb [C],  $z_p$ : Number of electrons per product [-],  $N_p$ : Number of mols of the product [mol], F: Faraday constant [96 485 sA mol<sup>-1</sup>]

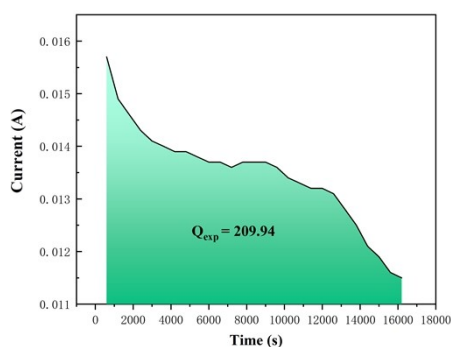
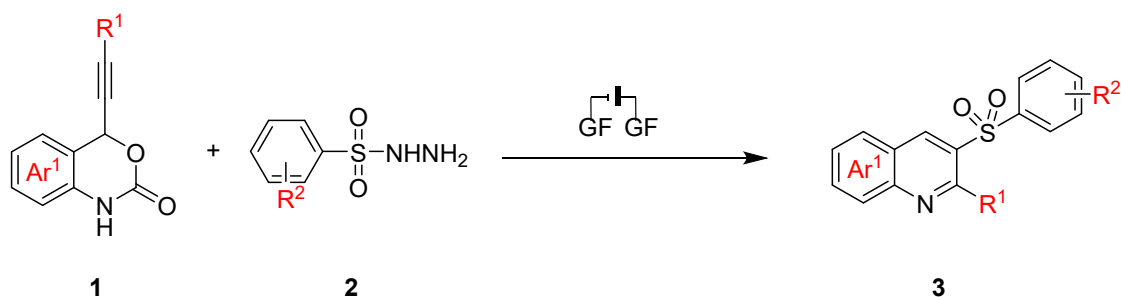


Figure S2. Current vs time curve

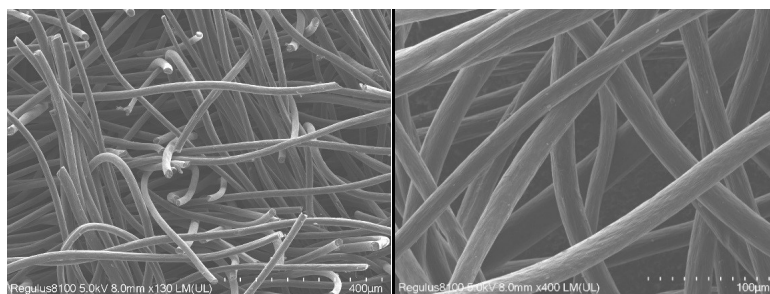
## General procedure for the synthesis of compounds 3



In an undivided Schlenk flask (10 mL) equipped with a stir bar, substrate **1** (0.2 mmol,

1 equiv.), sulfonyl hydrazide **2** (0.6 mmol, 3 equiv.), Et<sub>4</sub>NPF<sub>6</sub> (0.4 mmol), <sup>n</sup>Bu<sub>4</sub>NBF<sub>4</sub> (0.2 mmol), and CoCl<sub>2</sub>·6H<sub>2</sub>O (5 mol%) were combined and added. The flask was equipped with a rubber stopper, a graphite felt anode (1 cm x 1 cm x 0.5 cm) and a graphite felt cathode (1 cm x 1 cm x 0.5 cm) and then flushed with nitrogen. Then HFIP (3 mL), CH<sub>3</sub>NO<sub>2</sub> (1 mL) and DCM (1 mL) were injected respectively into the flask via syringes. The reaction mixture was stirred and electrolyzed at a controlled voltage of 3.9 V at RT for 4.5 h. When the reaction was finished, the residue was chromatographed through silica gel eluting with petroleum ether /EtOAc to give the product **3**.

### SEM image of graphite felts:



### The effect of metals.

Metal catalysts (5 mmol%)	Yield (%)
Mn(OAc) <sub>2</sub>	28
MnBr <sub>2</sub> ·4H <sub>2</sub> O	22
Cu(CF <sub>3</sub> SO <sub>3</sub> ) <sub>2</sub>	24
(PPh <sub>3</sub> ) <sub>2</sub> NiCl <sub>2</sub>	26
Ni(ClO <sub>4</sub> ) <sub>2</sub>	29
Nickel(II) acetylacetonate	30
Pd(OAc) <sub>2</sub>	31
Fe(CF <sub>3</sub> SO <sub>3</sub> ) <sub>3</sub>	32
Pd(CF <sub>3</sub> COO) <sub>2</sub>	30

Through experiments, we found that the use of other metal catalysts will reduce the reaction yield. This means that Co promotes the process, while other metals inhibit the



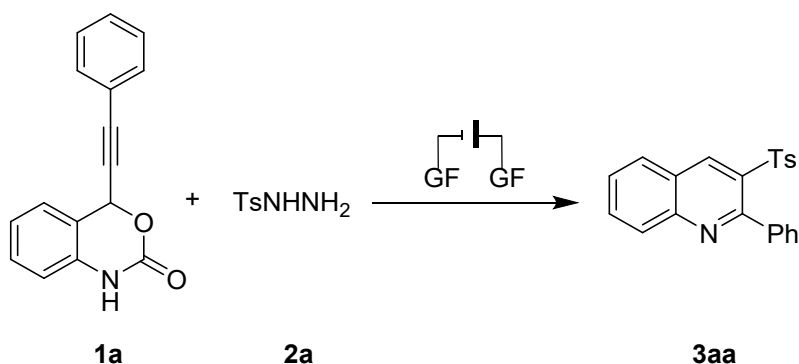
reaction. Therefore, other metals cannot be used to replace cobalt catalysts

The

Entry	Variation from standard conditions	Yield (%)
1	CH <sub>3</sub> CN instead of solvent	24
2	DCE instead of solvent	26
3	CH <sub>3</sub> OH instead of solvent	14
4	THF instead of solvent	23
5	HFIP instead of solvent	78
6	CH <sub>3</sub> NO <sub>2</sub> instead of solvent	67
7	CH <sub>3</sub> NO <sub>2</sub> :DMSO = 4:1	39
8	CH <sub>3</sub> NO <sub>2</sub> :CH <sub>3</sub> OH = 4.5:0.5	47
9	HFIP:CH <sub>3</sub> NO <sub>2</sub> :DCM = 3.5:0.5:1	82
10	HFIP:CH <sub>3</sub> NO <sub>2</sub> :DCM = 2:2:1	75
11	HFIP:CH <sub>3</sub> NO <sub>2</sub> :DCM = 1:3:1	79

effect of solvent

### Gram-scale reaction



(1) In a round bottom three-necked flask (250 mL) equipped with a stir bar, substrate **1a** (5 mmol, 1.245 g), sulfonyl hydrazides **2a** (15 mmol, 2.79 g, 3 equiv.), Et<sub>4</sub>NPF<sub>6</sub> (10 mmol, 2.757 g, 2 equiv.), <sup>n</sup>Bu<sub>4</sub>NBF<sub>4</sub> (5 mmol, 1.646 g, 1 equiv.), and CoCl<sub>2</sub>·6H<sub>2</sub>O (0.25 mmol, 0.0595 g) were combined and added. Then add HFIP (75 mL), CH<sub>3</sub>NO<sub>2</sub> (25 mL)

and DCM (25 mL) to the flask separately. The flask was equipped with a rubber stopper, a graphite felt anode (1 cm x 4 cm x 1.5 cm) and a graphite felt cathode (1 cm x 4 cm x 1.5 cm) and then flushed with nitrogen. The reaction mixture was stirred and electrolyzed at a constant voltage of 3.9 V at RT for 76 h. When the reaction was finished, the residue was chromatographed through silica gel eluting with petroleum ether /EtOAc to give the product **3aa** (1.43 g, 79%).

(2) Under the same conditions, without adding cobalt catalyst, and carried out the scale-up experiment, the target product **3aa** was afforded in 69% yield (1.24 g).

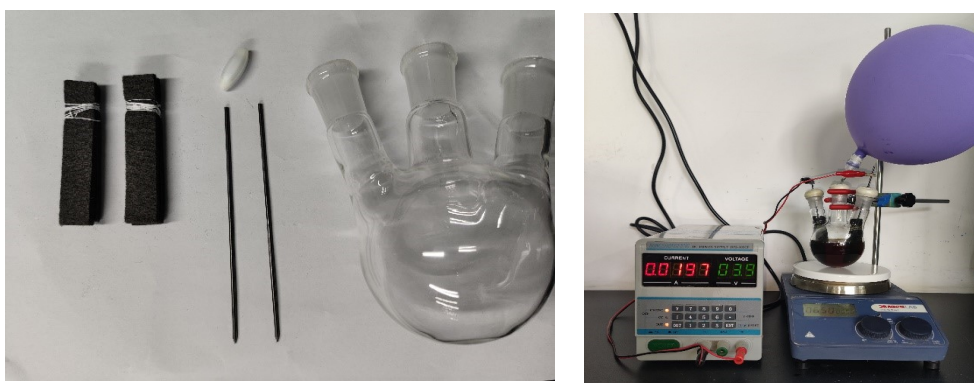
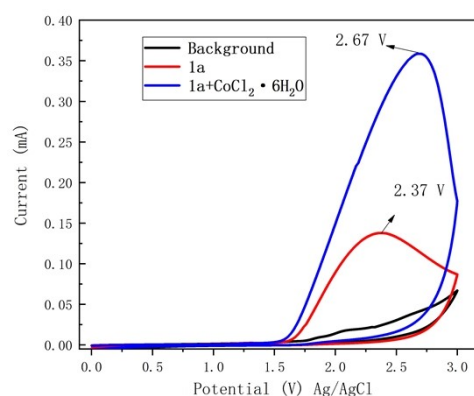
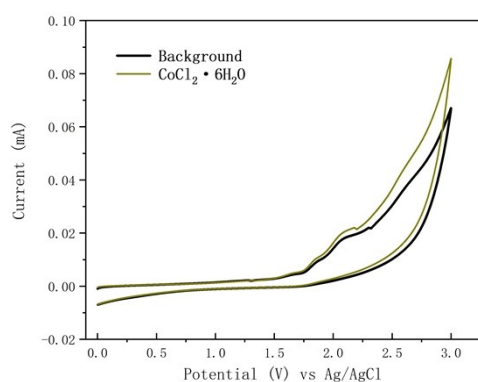
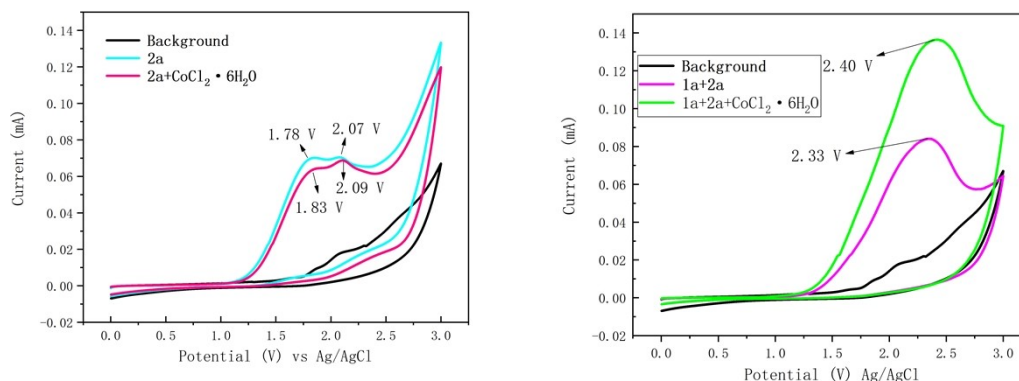


Figure S3. Components required for gram-scale reaction

### Cyclic voltammetry study

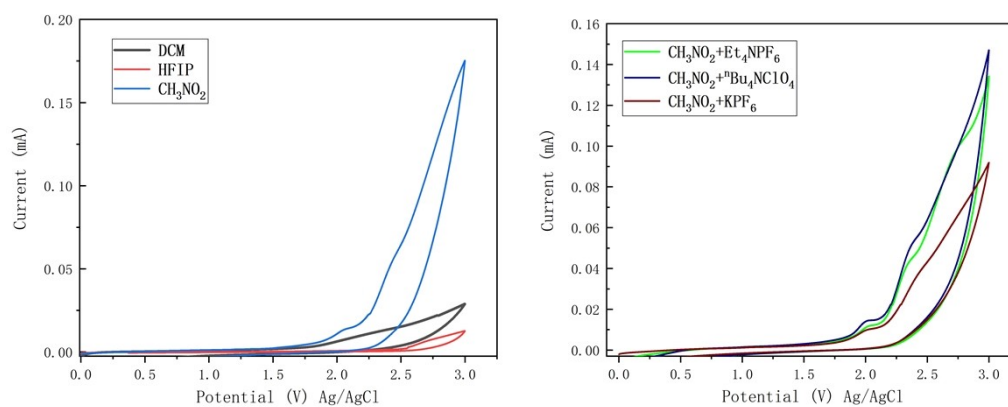


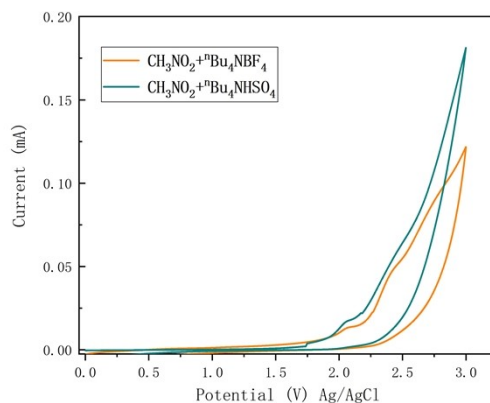


**Figure S4.** Cyclic voltammogram

**General procedure for cyclic voltammetry (CV):** Cyclic voltammograms of **1a** (0.2 mmol), **2a** (0.6 mmol), were performed in a three-electrode cell at room temperature. The working electrode was a steady glassy carbon, the counter electrode was a platinum wire, and the reference was an Ag/AgCl electrode submerged in saturated aqueous KCl solution. Mixed solvent (HFIP (3 mL), CH<sub>3</sub>NO<sub>2</sub> (1 mL) and DCM (1 mL)) containing Et<sub>4</sub>NPF<sub>6</sub> (0.4 mmol), <sup>n</sup>Bu<sub>4</sub>NBF<sub>4</sub> (0.2 mmol), and CoCl<sub>2</sub>·6H<sub>2</sub>O (5 mol%) were poured into the electrochemical cell in cyclic voltammetry experiments. The scan rate was 100 mV/s, ranging from 0.0 V to 3.0 V.

By consulting the literature,<sup>4</sup> it is found that the addition of cobalt not only increases the oxidation potential of 1a, but also increases the current, which may be more conducive to the reaction.

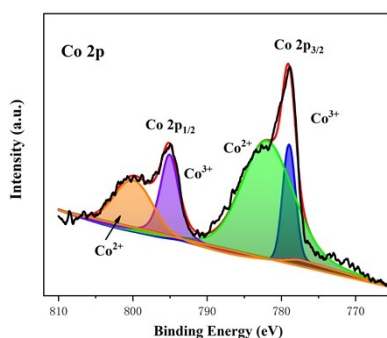




**Figure S5.** Cyclic voltammogram studies

### XPS spectrum

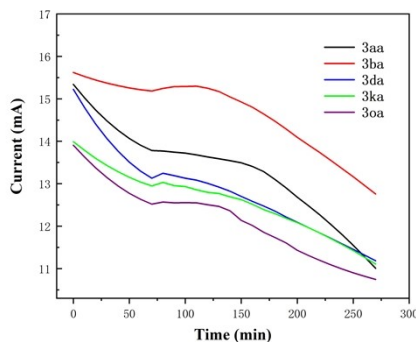
Experiments with XPS show that both  $\text{Co}^{2+}$  and  $\text{Co}^{3+}$  exist in the system, which shows that the valence state of cobalt ion changes in the reaction process, further indicating that cobalt plays a certain role in the reaction process (Figure S6).



**Figure S6.** High-resolution Co2p XPS spectrum

### Chronoamperometry curves

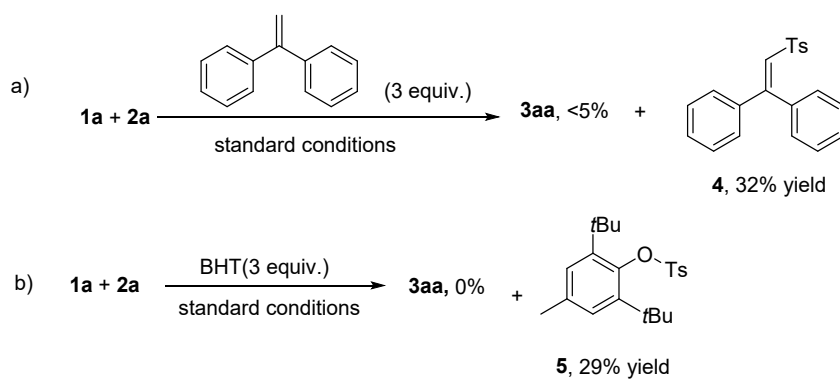
Under standard conditions, we selected five different benzoxazinones (**1a**, **1b**, **1d**, **1k**, **1o**) to react with p-toluenesulfonyl hydrazide. When the constant voltage of 3.9 V was used, the change of current with time was recorded in detail, and the change of current with time during the reaction was drawn (Figure S7). It can be seen from the figure that the overall trend of the current is decreasing during the reaction process, and the variation range is between 11-16 mA.



**Figure S7.** Current versus time curve

## Control experiments

1.

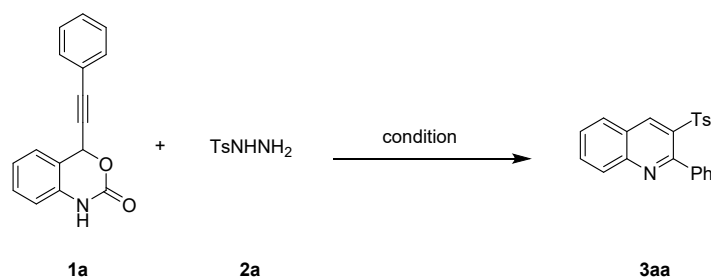


a) In a undivided Schlenk flask (10 mL) equipped with a stir bar, substrate **1a** (0.2 mmol, 0.0498 g, 1 equiv.), sulfonyl hydrazides **2a** (0.6 mmol, 0.1117 g, 3 equiv.),  $\text{Et}_4\text{NPF}_6$  (0.4 mmol, 0.1101 g),  ${}^n\text{Bu}_4\text{NBF}_4$  (0.2 mmol, 0.0658 g), 1,1-diphenylethylene (0.1082 g, 3 equiv.), and  $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$  (5 mol%, 0.0024 g) were combined and added. The flask was equipped with a rubber stopper, a graphite felt anode (1 cm x 1 cm x 0.5 cm) and a graphite felt cathode (1 cm x 1 cm x 0.5 cm) and then flushed with nitrogen. Then HFIP (3 mL),  $\text{CH}_3\text{NO}_2$  (1 mL) and DCM (1 mL) were injected respectively into the flask via syringes. The reaction mixture was stirred and electrolyzed at a controlled voltage of 3.9 V at RT for 4.5 h. When the reaction was finished, the residue was chromatographed through silica gel eluting with petroleum ether /EtOAc to give the product **4** (0.0641 g, 32%).

b) In a undivided Schlenk flask (10 mL) equipped with a stir bar, Substrate **1a** (0.2 mmol, 0.0498 g, 1 equiv.), sulfonyl hydrazides **2a** (0.6 mmol, 0.1117 g, 3 equiv.),  $\text{Et}_4\text{NPF}_6$  (0.4 mmol, 0.1101 g),  ${}^n\text{Bu}_4\text{NBF}_4$  (0.2 mmol, 0.0658 g), BHT (0.1322 g, 3

equiv.), and  $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$  (5 mol%, 0.0024 g) were combined and added. The flask was equipped with a rubber stopper, a graphite felt anode (1 cm x 1 cm x 0.5 cm) and a graphite felt cathode (1 cm x 1 cm x 0.5 cm) and then flushed with nitrogen. Then HFIP (3 mL),  $\text{CH}_3\text{NO}_2$  (1 mL) and DCM (1 mL) were injected respectively into the flask via syringes. The reaction mixture was stirred and electrolyzed at a controlled voltage of 3.9 V at RT for 4.5 h. When the reaction was finished, the residue was chromatographed through silica gel eluting with petroleum ether /EtOAc to give the product **5** (0.0653 g, 29%).

### The reaction promoted by external oxidants



Entry	Conditions	Yield
1	TBAI (20 mol%), TBHP (2.0 equiv.), HFIP (3 mL), DCM (1 mL), $\text{CH}_3\text{NO}_2$ (1 mL), $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$ (5 mol%), 80 °C	0
2	$\text{I}_2$ (50 mol%), TBHP (2.0 equiv.), HFIP (3 mL), DCM (1 mL), $\text{CH}_3\text{NO}_2$ (1 mL), $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$ (5 mol%), 80 °C	0
3	$\text{FeCl}_3$ (10 mol%), air, HFIP (3 mL), DCM (1 mL), $\text{CH}_3\text{NO}_2$ (1 mL), $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$ (5 mol%), 80 °C	0
4	CAN (2.0 equiv.), air, HFIP (3 mL), DCM (1 mL), $\text{CH}_3\text{NO}_2$ (1 mL), $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$ (5 mol%), 80 °C	trace
5	PCC (2.0 equiv.), air, HFIP (3 mL), DCM (1 mL), $\text{CH}_3\text{NO}_2$ (1 mL), $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$ (5 mol%), 80 °C	0
6	$\text{KMnO}_4$ (10 mol%), air, HFIP (3 mL), DCM (1 mL), $\text{CH}_3\text{NO}_2$ (1 mL), $\text{CoCl}_2 \cdot 6\text{H}_2\text{O}$ (5 mol%), 80 °C	0

### The effect of water

adding water	Yield (%)
2.0 equiv, 7.2 $\mu\text{L}$	84
5.0 equiv, 18 $\mu\text{L}$	79
0.1 mL	68

The experimental results show that when a certain amount of water is added, the yield of the product decreases.

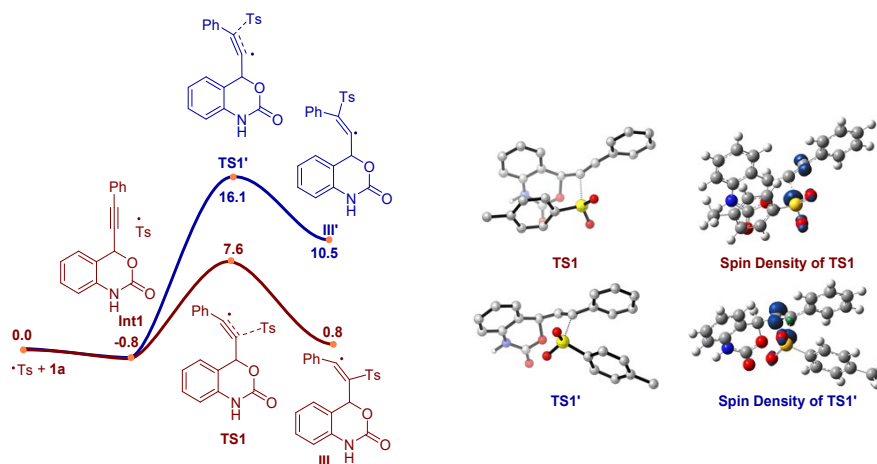
### The effect of electrolyte

Electrolyte	Yield (%)
<sup>n</sup> Bu <sub>4</sub> NClO <sub>4</sub>	35
<sup>n</sup> Bu <sub>4</sub> NI	0
<sup>n</sup> Bu <sub>4</sub> NHSO <sub>4</sub>	28
<sup>n</sup> Bu <sub>4</sub> NPF <sub>6</sub>	51

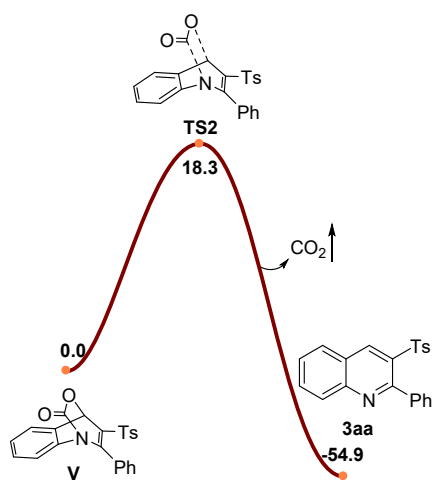
## DFT calculations

### Computational methods

All calculations were performed using Gaussian 16, Revision A.03 package.<sup>5</sup> All of the reactants, intermediates, transition states and products were optimized by the DFT with the M062X functional. For geometry optimizations and frequency calculations, BS-I basis set system was employed. In BS-I, we employed 6-311G(d) basis sets for H, C, O, N, and S. All the stationary structures were characterized with no imaginary frequency and the transition state structures (TSs) were characterized with a single imaginary frequency. Intrinsic reaction coordinate (IRC) calculations were performed on all the TSs. The solvent effect of methanol was evaluated through the SMD method during the optimization process.<sup>6</sup> The energy was refined by a bigger basis set 6-311++G(d,p) in solvent. All reported energies are free energies at a concentration of 1 M and a temperature of 298.15 K. All the 3D molecular structures of the species were generated by using the CYLview program.<sup>7</sup>



**Figure S8.** DFT calculated Gibbs free energy profiles (in kcal/mol).



**Figure S9.** DFT calculated Gibbs free energy profiles (in kcal/mol).

### Cartesian coordinates of the optimized structures:

#### 1a

E = -821.376088 a.u.

C	-6.21434200	-0.40845400	0.72375100
C	-4.85668600	-0.35703600	0.42574100
C	-4.31826000	0.83154700	-0.05401100
C	-5.12037600	1.95527800	-0.23758000
C	-6.46958600	1.90080000	0.08059700
C	-7.02049000	0.71477700	0.55984400
H	-6.64104400	-1.33278200	1.09635600
H	-4.21736700	-1.22181300	0.56734100
C	-4.41228500	3.13807800	-0.84981800
H	-7.09100400	2.77915900	-0.05838400
H	-8.07569600	0.66830200	0.80174000



C	-2.29555300	2.14705900	-0.31124000
C	-5.07832600	4.41418500	-0.62247900
C	-5.65297400	5.45601100	-0.44214600
C	-6.33729400	6.69588900	-0.21866100
C	-6.06237300	7.80770100	-1.02487600
C	-7.28343500	6.79819100	0.80919800
C	-6.72707500	9.00630100	-0.80081600
H	-5.32947100	7.72387700	-1.81937000
C	-7.94487300	8.00020600	1.02407900
H	-7.49180100	5.93474800	1.43109000
C	-7.66754300	9.10464200	0.22184600
H	-6.51045000	9.86545000	-1.42555600
H	-8.67675500	8.07580200	1.82037700
H	-8.18453400	10.04217700	0.39375300
O	-3.07207400	3.24854600	-0.30138300
O	-1.09260000	2.25499800	-0.25346100
N	-2.95368200	0.95529500	-0.36238900
H	-2.36377300	0.13520800	-0.28655400
H	-4.29552300	2.97179800	-1.92751000

### Int1

E = -1640.835425 a.u.

C	-5.10294300	-0.64711500	1.14739300
C	-3.80748600	-0.37510800	0.72228600
C	-3.56801800	0.77759300	-0.01868400
C	-4.60415700	1.65222200	-0.33220500
C	-5.89046000	1.38368400	0.11604600
C	-6.14388100	0.23016400	0.85372400
H	-5.29577600	-1.54501000	1.72389400
H	-2.98472100	-1.03930700	0.96564100
C	-4.22975400	2.81427900	-1.22144800
H	-6.69627200	2.07076400	-0.12041100
H	-7.14978600	0.01864200	1.19714100
C	-1.89609700	2.39039500	-0.73095700
C	-5.11266800	3.96647100	-1.06588800
C	-5.84628000	4.90409300	-0.88600500
C	-6.70401900	6.01690300	-0.60895500
C	-6.41421100	7.28192300	-1.13480500
C	-7.81840900	5.84335500	0.22197700
C	-7.23568900	8.35965100	-0.83136200
H	-5.54576300	7.41056900	-1.77102300
C	-8.63599100	6.92693800	0.51596800
H	-8.03403400	4.86158500	0.62953700

C	-8.34583400	8.18432200	-0.00838300
H	-7.00842100	9.33889700	-1.23719400
H	-9.49913100	6.79040400	1.15755700
H	-8.98440500	9.02878600	0.22574100
O	-2.88856600	3.28199300	-0.91913700
O	-0.74608200	2.75972900	-0.79478100
N	-2.27534600	1.11447000	-0.44992200
H	-1.51455000	0.47792200	-0.24309900
H	-4.21668800	2.48886900	-2.26768200
C	-4.36516300	2.04479600	3.43549600
C	-5.28698300	3.05227400	3.12877800
C	-4.91720900	4.15920600	2.37843900
C	-3.59662300	4.25021800	1.95283000
C	-2.65066700	3.27194900	2.23317300
C	-3.04809100	2.17410000	2.98524600
H	-6.31231800	2.96234600	3.47322900
H	-5.63602900	4.93384200	2.13406800
H	-1.62861300	3.36718500	1.88292700
H	-2.32378500	1.39960500	3.21614300
S	-3.13921300	5.60776500	0.88628600
C	-4.78304000	0.85610700	4.25331200
H	-4.11983900	0.00615000	4.08600200
H	-4.74885600	1.10161700	5.31939000
H	-5.80563100	0.55477500	4.01843800
O	-1.67106500	5.74534200	0.91191500
O	-3.98310600	6.77102100	1.22336200

## TS1

E = -1640.823807 a.u.

C	-5.84768500	-0.20466800	1.12418500
C	-4.50300700	-0.14111300	0.77943900
C	-4.02029000	0.99671900	0.13893000
C	-4.86297000	2.06808900	-0.14221200
C	-6.20359100	1.99886300	0.21474600
C	-6.69981100	0.86129100	0.84431800
H	-6.22860400	-1.09049200	1.61999400
H	-3.82610000	-0.95938300	1.00294000
C	-4.24285500	3.22470300	-0.89383800
H	-6.86179500	2.83329000	-0.00318700
H	-7.74733900	0.80876400	1.11663200
C	-2.04772000	2.28473700	-0.45192800
C	-4.88296900	4.52558400	-0.58568600
C	-5.75627500	5.35854100	-0.83707500

C	-6.69477100	6.40757400	-0.90452400
C	-6.44970700	7.53047400	-1.71703600
C	-7.87883800	6.33407500	-0.14557900
C	-7.37668000	8.55880100	-1.76310000
H	-5.53609700	7.57903400	-2.29797900
C	-8.79676600	7.36934600	-0.20478100
H	-8.05592000	5.46841700	0.48273700
C	-8.54867600	8.48062800	-1.01094700
H	-7.18763400	9.42542300	-2.38596700
H	-9.70732000	7.31533900	0.38059900
H	-9.27021600	9.28883400	-1.05180400
O	-2.84109100	3.36799700	-0.58187500
O	-0.84733700	2.42036700	-0.50604800
N	-2.67345600	1.09891400	-0.23913200
H	-2.05901500	0.31323100	-0.06118100
H	-4.31682400	3.05230700	-1.97236700
C	-3.44918100	1.40439900	3.68586400
C	-4.67152400	2.08643000	3.64742200
C	-4.79033100	3.30437700	2.99697700
C	-3.65662900	3.85122300	2.40042400
C	-2.42354700	3.21028000	2.43335700
C	-2.32936300	1.98837600	3.08768800
H	-5.54621100	1.64243600	4.11223700
H	-5.74530400	3.81567200	2.94352800
H	-1.55860000	3.65209100	1.95108200
H	-1.37472200	1.47297300	3.11547600
S	-3.85834100	5.28968300	1.37641100
C	-3.35792200	0.06429300	4.35805200
H	-2.44788900	-0.46424500	4.07133000
H	-3.35140100	0.18102100	5.44588200
H	-4.21926500	-0.55779900	4.10326800
O	-2.53537900	5.89299200	1.13475300
O	-4.90470200	6.14649100	1.96197500

### TS1'

E = -1640.807475 a.u.

C	-5.38071800	5.50937900	1.33283800
C	-4.95654500	4.18707600	1.26578400
C	-4.01558400	3.82811800	0.30677500
C	-3.50392700	4.77456100	-0.57577500
C	-3.91888700	6.09647200	-0.49179500
C	-4.86347200	6.46509300	0.46261800
H	-6.11430300	5.79455200	2.07822700

H	-5.34055900	3.43851800	1.95047400
C	-2.54115400	4.22310900	-1.60397900
H	-3.52168500	6.83642700	-1.17881600
H	-5.19303400	7.49533900	0.52479300
C	-2.31970800	2.20509600	-0.31771400
C	-1.62496000	5.23361300	-2.12342100
C	-0.79340500	6.16132400	-2.10910100
C	0.07833900	7.05622400	-2.86137800
C	1.23706600	6.54137800	-3.45111600
C	-0.17968900	8.42866500	-2.88913400
C	2.13261500	7.40345500	-4.07110300
H	1.43330200	5.47579000	-3.40696400
C	0.71935200	9.28202500	-3.51915800
H	-1.07473100	8.82027300	-2.41843300
C	1.87677700	8.77299700	-4.10207300
H	3.03361700	7.00612000	-4.52491000
H	0.51796600	10.34680500	-3.54763100
H	2.58055800	9.44402500	-4.58175600
O	-1.71865200	3.17890800	-1.03324100
O	-1.75722300	1.14812600	-0.15489600
N	-3.54081800	2.51090200	0.19799500
H	-3.94281700	1.80092500	0.79850200
H	-3.10729600	3.76820400	-2.42700000
C	4.20108700	6.67017800	-0.68248200
C	3.53054400	5.46262200	-0.47347600
C	2.16767100	5.43776300	-0.20342700
C	1.48742200	6.64704700	-0.11745700
C	2.12129500	7.86940400	-0.32868500
C	3.48065600	7.86841800	-0.59921900
H	4.07786700	4.52801100	-0.53313600
H	1.64670800	4.49647500	-0.06415800
H	1.56294500	8.79715800	-0.27857500
H	3.99292000	8.81199700	-0.75947400
S	-0.28089000	6.59914300	-0.01197700
C	5.66958300	6.69911800	-0.99873600
H	5.84729700	7.20357800	-1.95207600
H	6.21784100	7.25290500	-0.23214700
H	6.08481100	5.69282100	-1.05872500
O	-0.78035900	7.93625800	0.34976000
O	-0.69825300	5.45007600	0.80414400

### III

E = -1640.836872 a.u.

C	-5.80276300	-0.07522100	1.26329800
C	-4.45274100	-0.03344700	0.94049800
C	-3.92679000	1.11571400	0.35418500
C	-4.73110300	2.22427500	0.11150800
C	-6.08231500	2.16949500	0.43531200
C	-6.62204000	1.02239900	1.00694600
H	-6.21491300	-0.96912300	1.71772200
H	-3.80149800	-0.87916500	1.13637300
C	-4.09584700	3.43070600	-0.54443900
H	-6.71556800	3.02921100	0.24166800
H	-7.67636300	0.98727400	1.25467300
C	-1.91586100	2.33156700	-0.27168500
C	-4.58854000	4.74158700	0.03857000
C	-5.53634200	5.48799200	-0.42548100
C	-6.47897000	6.50027200	-0.52820200
C	-6.20253400	7.67196100	-1.27699100
C	-7.74404700	6.35735400	0.09749300
C	-7.16150800	8.66180800	-1.38120800
H	-5.23695700	7.77907800	-1.75726100
C	-8.68652200	7.36047600	-0.02283000
H	-7.95329200	5.46217800	0.67210800
C	-8.40404300	8.51343900	-0.76010800
H	-6.94374800	9.55891500	-1.94955900
H	-9.64978900	7.25001900	0.46210800
H	-9.15008800	9.29463800	-0.84929500
O	-2.66206700	3.44612000	-0.40563100
O	-0.71348300	2.41683100	-0.37660500
N	-2.57398900	1.17854000	-0.00326600
H	-1.98534800	0.37225800	0.16787400
H	-4.31932300	3.43699400	-1.61394000
C	-3.30908700	1.48975800	3.92305500
C	-4.54352500	2.15225100	3.87728400
C	-4.68335200	3.35370100	3.20413800
C	-3.56397600	3.89816600	2.57583000
C	-2.31977400	3.28272700	2.62484400
C	-2.20246900	2.07671800	3.30705900
H	-5.40695100	1.70690500	4.36144800
H	-5.64538300	3.85220100	3.15166100
H	-1.46367500	3.72890400	2.13085300
H	-1.23899100	1.57923800	3.34426100
S	-3.78251500	5.34297400	1.57957300
C	-3.20000300	0.16427800	4.62072800
H	-2.20935600	-0.27357800	4.49489000
H	-3.39302400	0.27506900	5.69126000

H	-3.94233100	-0.53669200	4.22936500
O	-2.46923000	5.89499800	1.24338300
O	-4.75012300	6.23077800	2.22722800

### III'

E = -1640.818424 a.u.

C	-5.49089500	5.06079200	0.99475900
C	-4.63693000	3.97880700	1.17636200
C	-3.52140400	3.85204300	0.35557000
C	-3.25850800	4.79386700	-0.63395400
C	-4.10398400	5.88245100	-0.79531200
C	-5.22710100	6.01522900	0.01634300
H	-6.36291800	5.16106600	1.63089800
H	-4.82429000	3.24111200	1.94920900
C	-2.06346700	4.50274300	-1.50839400
H	-3.89337400	6.62138200	-1.56150000
H	-5.89153000	6.86089700	-0.11507400
C	-1.32251600	2.85056100	0.09767800
C	-1.45146100	5.70794500	-2.09395500
C	-0.70288200	6.74429500	-1.84567700
C	-0.13128000	7.68162400	-2.84782200
C	0.92003600	7.24157800	-3.65521800
C	-0.60270800	8.99058700	-2.96034400
C	1.49420600	8.10954600	-4.57799200
H	1.28497400	6.22495400	-3.55053600
C	-0.02682100	9.85155900	-3.88743200
H	-1.41781000	9.32752400	-2.32964800
C	1.02181800	9.41369600	-4.69329400
H	2.31004200	7.76667700	-5.20426400
H	-0.39732000	10.86616400	-3.98063100
H	1.46956800	10.09069500	-5.41236800
O	-1.01514200	3.82011600	-0.78115500
O	-0.45141800	2.10641700	0.48870400
N	-2.61888800	2.78603300	0.50448500
H	-2.80762700	2.09184400	1.21799800
H	-2.36461000	3.82680100	-2.31860100
C	4.17897500	5.81277000	-0.29672900
C	3.19507100	4.90919700	0.11232700
C	1.86084700	5.28780300	0.19103400
C	1.52426900	6.59746500	-0.13400900
C	2.47881800	7.52415200	-0.54980400
C	3.80322000	7.12088500	-0.62338300
H	3.47450900	3.89369600	0.37173300

H	1.10353300	4.58047000	0.50915200
H	2.19282900	8.53959700	-0.80035100
H	4.55999400	7.83134600	-0.93992000
S	-0.17772200	7.07804900	-0.12535200
C	5.62235100	5.40355400	-0.37902000
H	6.02936900	5.61737700	-1.37021100
H	6.22046500	5.96381700	0.34472900
H	5.74745600	4.33983500	-0.17521600
O	-0.25261500	8.52692800	0.07298300
O	-0.93105300	6.21002100	0.77696500

## V

E = -1640.248784 a.u.

C	-7.40980700	-0.13603900	-0.56879300
C	-5.36383200	1.02318300	-0.22448500
C	-6.03475900	2.23331200	-0.08092400
C	-7.29031600	2.21727700	-0.91747400
C	-8.10225400	1.00821700	-0.47681700
H	-3.65995100	-0.18076500	0.27656100
C	-4.16782700	0.76701300	0.41038300
C	-5.52570200	3.23110300	0.72757500
C	-4.32080600	2.98258600	1.39313000
C	-3.65259000	1.77233700	1.23560600
H	-6.04320900	4.17733300	0.84007300
H	-3.90002600	3.74596000	2.03745400
H	-2.71924500	1.60258500	1.75997300
N	-6.05164200	0.07178500	-1.10479600
C	-9.83822900	2.58242000	4.24539200
C	-10.31425800	1.31394200	3.90114300
C	-10.31919900	0.88296100	2.58146400
C	-9.84731300	1.74788300	1.60000600
C	-9.37559400	3.02216600	1.90591100
C	-9.37055300	3.42640300	3.23299000
H	-10.68614000	0.65327700	4.67726600
H	-10.69389600	-0.09975500	2.31881100
H	-9.03038800	3.69343900	1.12634900
H	-9.00370900	4.41535200	3.48642000
S	-9.81815200	1.22600400	-0.09072000
C	-9.86281200	3.04060800	5.67576900
H	-9.13607400	3.83477000	5.85077400
H	-10.85277400	3.43237500	5.92823600
H	-9.65329900	2.21506300	6.35794900
O	-10.25227100	2.34854700	-0.92321300

O	-10.51519600	-0.04883700	-0.21178500
C	-7.74684300	-1.52109600	-0.22687000
C	-7.39109100	-2.54806600	-1.10687700
C	-8.37242400	-1.82342200	0.98438700
C	-7.70452600	-3.86373900	-0.79359300
H	-6.88269800	-2.30833700	-2.03480000
C	-8.66515600	-3.14372100	1.30143900
H	-8.58968300	-1.03242600	1.69340900
C	-8.34247200	-4.16237600	0.40873200
H	-7.44445700	-4.65795600	-1.48390000
H	-9.13986100	-3.37718600	2.24766900
H	-8.57698700	-5.19198200	0.65508500
O	-6.86642700	1.92603800	-2.28413400
C	-6.21419100	0.76133400	-2.37657300
O	-5.80600400	0.33277800	-3.41211500
H	-7.83697200	3.15287700	-0.96133100

## TS2

E = -1640.215469 a.u.

C	-7.11520000	-0.00908400	-0.21634100
C	-5.09086900	1.22273600	-0.40450500
C	-5.77212700	2.44146700	-0.33504800
C	-7.16840300	2.30586400	-0.67319600
C	-7.84021200	1.15702500	-0.15247500
H	-3.18952300	0.23016400	-0.34030900
C	-3.71299500	1.17398300	-0.24586600
C	-5.09287200	3.63341100	-0.08114300
C	-3.72580100	3.57502100	0.14186100
C	-3.04438500	2.35573800	0.05487000
H	-5.63226600	4.57312800	-0.04873800
H	-3.17653900	4.48122800	0.36810500
H	-1.97295200	2.33214200	0.21811500
N	-5.84336200	0.08213000	-0.80945800
C	-10.46309100	2.09982700	4.39631300
C	-10.99349400	0.96719000	3.77779400
C	-10.73324200	0.69165900	2.43934700
C	-9.93598200	1.57571800	1.72644200
C	-9.39614400	2.72082000	2.30899300
C	-9.66222800	2.97018900	3.64470000
H	-11.61723200	0.28666500	4.34717900
H	-11.13947000	-0.19346600	1.96304900
H	-8.77724400	3.40339800	1.73434000
H	-9.24631200	3.85478000	4.11587500



S	-9.61898900	1.27743400	0.00985400
C	-10.73037700	2.39200500	5.84543800
H	-11.42090900	1.66787300	6.27826000
H	-9.80010200	2.36470700	6.41913800
H	-11.15549700	3.39134700	5.96593800
O	-9.97623800	2.48339400	-0.73800100
O	-10.23945300	0.01585700	-0.37585200
C	-7.57383700	-1.35884400	0.12861000
C	-7.24384200	-2.44249700	-0.69303400
C	-8.29454400	-1.56922500	1.30746800
C	-7.67995300	-3.71637200	-0.35774400
H	-6.66393400	-2.28031300	-1.59468500
C	-8.70393200	-2.85065800	1.65054700
H	-8.48902000	-0.74225800	1.98149600
C	-8.40973000	-3.92227100	0.81172300
H	-7.44337300	-4.55269000	-1.00537400
H	-9.24785000	-3.01174200	2.57434800
H	-8.73780500	-4.92159600	1.07517400
O	-6.82196000	1.51208900	-2.49803700
C	-6.17965400	0.45492900	-2.39373100
O	-5.73246900	-0.34417700	-3.17002600
H	-7.73964600	3.17778400	-0.97145400

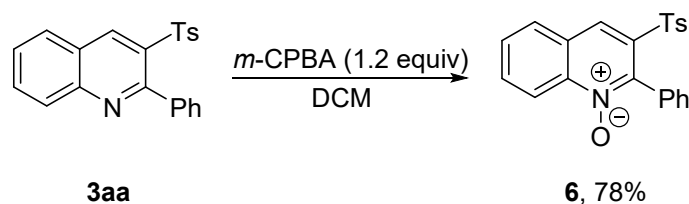
### 3aa

E = -1451.750138 a.u.

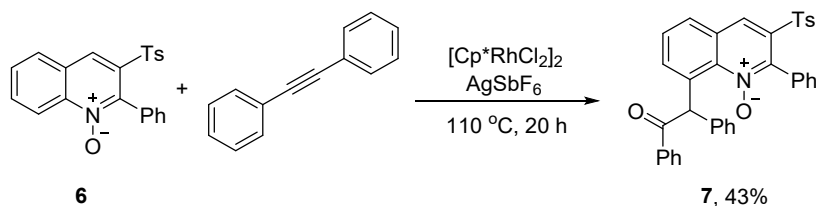
C	-6.86382600	0.42860000	0.34945800
C	-4.71827300	1.25664400	0.09800700
C	-5.17158200	2.44003000	-0.53711400
C	-6.56600500	2.60494300	-0.68585300
C	-7.40066300	1.61088300	-0.25501600
H	-2.99608500	0.16102300	0.78169000
C	-3.32857200	1.06980700	0.29318400
C	-4.23577000	3.41249700	-0.96923000
C	-2.89629000	3.20435800	-0.77274500
C	-2.44212400	2.02371200	-0.13544900
H	-4.59955900	4.31288800	-1.45284000
H	-2.17552700	3.94338600	-1.10298900
H	-1.37819800	1.87671100	0.01328300
N	-5.57412700	0.28629600	0.53042100
C	-10.81962500	1.03147300	3.71668300
C	-11.29504000	0.33704500	2.60043600
C	-10.80275600	0.59994900	1.32926100
C	-9.83215200	1.58334400	1.18703300

C	-9.35176300	2.31051700	2.27097400
C	-9.84979600	2.02260800	3.53393700
H	-12.05116800	-0.43085700	2.72941400
H	-11.15553800	0.04526000	0.46783900
H	-8.59537000	3.07775400	2.13865600
H	-9.47995600	2.57529400	4.39106200
S	-9.15987000	1.91837300	-0.41809700
C	-11.32064300	0.68899600	5.09118600
H	-11.13208300	1.49812300	5.79764800
H	-12.39109400	0.47591600	5.07926400
H	-10.81272700	-0.20622800	5.46331200
O	-9.29968000	3.34966100	-0.69714400
O	-9.74579900	0.98560400	-1.38127500
C	-7.72958500	-0.67623800	0.85186900
C	-8.47318100	-1.46456800	-0.02518200
C	-7.76861500	-0.93415600	2.22422000
C	-9.26173100	-2.49933500	0.47138300
H	-8.42932600	-1.27615800	-1.09175500
C	-8.56865200	-1.95781400	2.71713800
H	-7.18110900	-0.32160700	2.90044800
C	-9.31844600	-2.74042000	1.84039200
H	-9.83227000	-3.11580300	-0.21446800
H	-8.60777500	-2.14551000	3.78474300
H	-9.94167200	-3.54030200	2.22511500
H	-6.95964700	3.51872800	-1.11847100

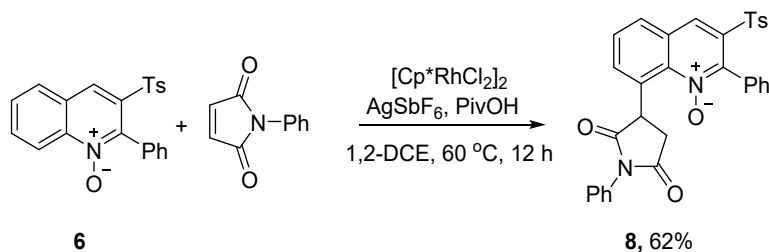
## Late-stage transformations



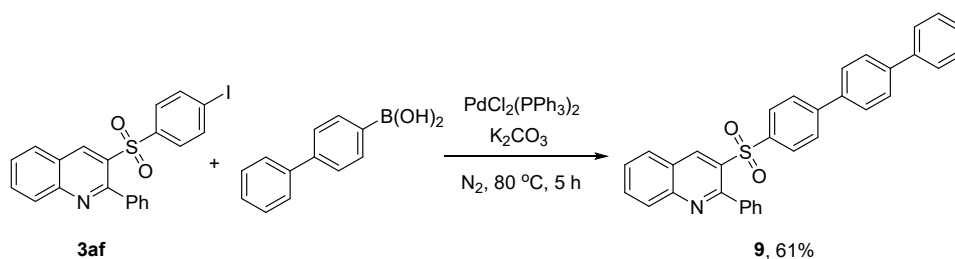
To a cooled to 0 °C and stirred solution of a heterocyclic compound **3aa** (0.3 mmol, 0.0747 g, 1 equiv.) in CH<sub>2</sub>Cl<sub>2</sub> (4 mL) was slowly added *m*-CPBA (0.2071 g, 1.2 equiv.), and stirring was continued for 24 h at rt. Saturated aqueous solution of K<sub>2</sub>CO<sub>3</sub> was slowly added, the resulting mixture was stirred for 30 min, then the layers were separated, and the water layer was extracted well with CH<sub>2</sub>Cl<sub>2</sub> (3 × 10 mL). The combined organic layer was dried with Na<sub>2</sub>SO<sub>4</sub>, and evaporated under reduced pressure. The crude product was purified by column chromatography on silica gel (PE/EA = 4:1) to give product **6** (0.0878 g, 78%) as a white solid.



Diphenylacetylene (0.2 mmol, 0.0356 g), quinoline N-oxide (0.3 mmol, 0.1125 g),  $[\text{Cp}^*\text{RhCl}_2]_2$  (4 mol%, 0.0049 g),  $\text{AgSbF}_6$  (16 mol%, 0.0110 g), HOAc (0.0240 g, 2.0 equiv.), and 1,4-dioxane (3.0 mL) were charged into a pressure tube. The reaction mixture was stirred at 110 °C for 20 h. After cooled to room temperature, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using EA/PE to afford compound **7** (0.0489 g, 43%).



To a solution of quinoline N-oxide (**6**) (0.5 mmol, 0.1875 g) and maleimide (0.7 mmol, 0.1211 g) in DCE (3.0 mL) was added  $[\text{RhCp}^*\text{Cl}_2]_2$  (0.0077 g, 2.5 mol %),  $\text{AgSbF}_6$  (0.0343 g, 20 mol %), pivalic acid (0.0255 g, 30 mol %), under atmospheric conditions. The reaction was stirred at 60 °C for 12 h. When the reaction was completed as indicated by TLC, the volatiles were removed in vacuo and the residue was purified by silica gel column chromatography (EA/PE) to obtain the desired products **8** (0.1699 g, 62%).

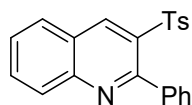


The electrochemical products **3af** (0.2 mmol, 0.0942 g), 4-biphenylboronic acid (0.24 mmol, 0.0293 g),  $\text{PdCl}_2(\text{PPh}_3)_2$  (5 mol%, 0.0022 g),  $\text{K}_2\text{CO}_3$  (0.0829 g, 3.0 equiv.), DMF (1.0 mL) and  $\text{H}_2\text{O}$  (1.0 mL) were charged into a thick-walled pressure pipe. The reaction mixture was stirred at 80 °C under  $\text{N}_2$  for 5 h. After cooled to room temperature, the mixture were separated, and the water layer was extracted well with

CH<sub>2</sub>Cl<sub>2</sub> (3 × 3 mL). The combined organic layer was dried with Na<sub>2</sub>SO<sub>4</sub>, and evaporated under reduced pressure. the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using EA/PE to afford compound **9** (0.0607 g, 61%).

## Characterization of products

### 2-phenyl-3-tosylquinoline (3aa)



**3aa**

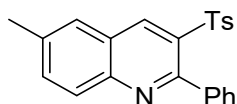
**3aa** was obtained in 87% (62.5 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.30 (s, 1H), 8.17 (d,  $J$  = 8.5 Hz, 1H), 8.08 (d,  $J$  = 6.8 Hz, 1H), 7.89 (m,  $J$  = 8.4, 7.0, 1.5 Hz, 1H), 7.74 – 7.69 (m, 1H), 7.40 (t,  $J$  = 7.5 Hz, 1H), 7.29 (d,  $J$  = 7.7 Hz, 2H), 7.19 (d,  $J$  = 6.8 Hz, 2H), 7.12 (d,  $J$  = 8.4 Hz, 2H), 6.99 (d,  $J$  = 8.1 Hz, 2H), 2.33 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  156.3, 147.8, 143.1, 138.1, 137.3, 136.0, 134.0, 132.0, 128.8, 128.5, 128.3, 127.8, 127.2, 126.6, 124.9, 20.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>19</sub>H<sub>23</sub>O<sub>3</sub>S, 360.1058, Found: 360.1056.

### 6-methyl-2-phenyl-3-tosylquinoline(3ba)



**3ba**

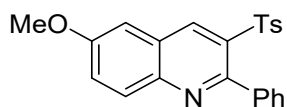
**3ba** was obtained in 68% (50.7 mg) as a light yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.20 (s, 1H), 8.06 (d,  $J$  = 8.6 Hz, 1H), 7.84 (s, 1H), 7.72 (dd,  $J$  = 8.6, 2.0 Hz, 1H), 7.39 (t,  $J$  = 7.5 Hz, 1H), 7.28 (d,  $J$  = 7.7 Hz, 2H), 7.19 (dd,  $J$  = 8.0, 1.5 Hz, 2H), 7.12 (d,  $J$  = 8.1 Hz, 2H), 7.00 (d,  $J$  = 8.1 Hz, 2H), 2.62 (s, 3H), 2.34 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  155.3, 146.4, 143.0, 137.5, 137.4, 136.1, 134.3, 133.9, 128.9, 128.2, 128.1, 127.7, 127.1, 126.8, 126.6, 125.0, 20.8, 20.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>23</sub>H<sub>19</sub>NO<sub>2</sub>S, 374.1215, Found: 374.1216.

### 6-methoxy-2-phenyl-3-tosylquinoline(3ca)



**3ca**

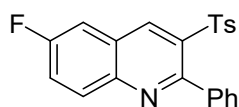
**3ca** was obtained in 65% (51.2 mg) as a light yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.18 (s, 1H), 8.05 (d,  $J = 9.2$  Hz, 1H), 7.53 (dd,  $J = 9.2, 2.8$  Hz, 1H), 7.39 (t,  $J = 7.5$  Hz, 1H), 7.31 (d,  $J = 2.8$  Hz, 1H), 7.28 (d,  $J = 8.1$  Hz, 2H), 7.19 (d,  $J = 6.8$  Hz, 2H), 7.12 (d,  $J = 8.4$  Hz, 2H), 7.00 (d,  $J = 8.1$  Hz, 2H), 4.01 (s, 3H), 2.34 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  159.0, 154.7, 145.0, 144.0, 138.4, 137.5, 137.1, 135.2, 130.9, 129.9, 129.2, 128.6, 128.1, 127.6, 127.2, 126.0, 105.9, 77.2, 55.9, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>23</sub>H<sub>19</sub>NO<sub>3</sub>S, 390.1164, Found: 390.1165.

#### **6-fluoro-2-phenyl-3-tosylquinoline (3da)**



**3da**

**3da** was obtained in 69% (52 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

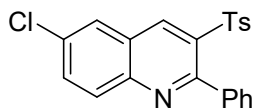
**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.23 (s, 1H), 8.16 (dd,  $J = 9.2, 5.1$  Hz, 1H), 7.71 – 7.62 (m, 2H), 7.41 (q,  $J = 7.5$  Hz, 1H), 7.28 (t,  $J = 7.7$  Hz, 2H), 7.19 (d,  $J = 6.8$  Hz, 2H), 7.12 (s, 2H), 7.00 (d,  $J = 8.1$  Hz, 2H), 2.33 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  162.3, 160.3, 156.6, 146.0, 144.3, 138.4, 138.3, 138.1, 136.7, 136.0, 132.2, 132.1, 129.8, 129.3, 128.9, 128.2, 127.7, 126.8, 126.7, 123.3, 123.1, 112.2, 112.0, 21.7.

**<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>):**  $\delta$  -110.21.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>16</sub>FNO<sub>2</sub>S, 378.0964, Found: 378.0957.

#### **6-chloro-2-phenyl-3-tosylquinoline (3ea)**



**3ea**

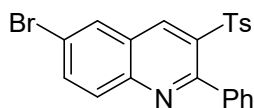
**3ea** was obtained in 49% (38.6 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.19 (s, 1H), 8.09 (d,  $J$  = 9.0 Hz, 1H), 8.05 (d,  $J$  = 2.3 Hz, 1H), 7.81 (dd,  $J$  = 9.0, 2.3 Hz, 1H), 7.41 (t,  $J$  = 7.5 Hz, 1H), 7.28 (t,  $J$  = 7.7 Hz, 2H), 7.19 (d,  $J$  = 6.8 Hz, 2H), 7.11 (d,  $J$  = 8.2 Hz, 2H), 7.00 (d,  $J$  = 8.1 Hz, 2H), 2.33 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.5, 147.2, 144.3, 138.1, 138.0, 136.6, 136.1, 134.1, 133.8, 131.1, 129.8, 129.3, 128.9, 128.2, 127.7, 127.6, 126.6, 77.2, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>16</sub>ClNO<sub>2</sub>S, 394.0669, Found: 394.0662.

#### 6-bromo-2-phenyl-3-tosylquinoline (**3fa**)



**3fa**

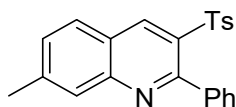
**3fa** was obtained in 63% (55.5 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.19 (s, 1H), 8.23 (s, 1H), 8.02 (d,  $J$  = 8.9 Hz, 1H), 7.94 (d,  $J$  = 8.9 Hz, 1H), 7.41 (t,  $J$  = 7.6 Hz, 1H), 7.28 (t,  $J$  = 7.9 Hz, 2H), 7.19 (d,  $J$  = 8.2 Hz, 2H), 7.11 (d,  $J$  = 6.7 Hz, 2H), 7.00 (d,  $J$  = 7.9 Hz, 2H), 2.33 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.6, 147.4, 144.3, 138.0, 138.0, 136.6, 136.4, 136.1, 131.2, 131.0, 129.7, 129.3, 129.0, 128.2, 127.7, 127.1, 122.2, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>16</sub>BrNO<sub>2</sub>S, 438.0163, Found: 438.0168.

#### 7-methyl-2-phenyl-3-tosylquinoline (**3ga**)



**3ga**

**3ga** was obtained in 76% (57.1 mg) as a white solid after column chromatography

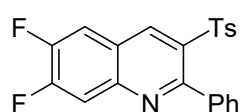
(eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.24 (s, 1H), 7.90 (d,  $J$  = 9.7 Hz, 1H), 7.71 (d,  $J$  = 7.1 Hz, 1H), 7.61 – 7.55 (m, 1H), 7.41 (m,  $J$  = 9.0, 6.0, 2.5 Hz, 1H), 7.32 – 7.27 (m, 4H), 7.13 (d,  $J$  = 8.3 Hz, 2H), 6.99 (d,  $J$  = 8.1 Hz, 2H), 2.73 (s, 3H), 2.32 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  155.7, 147.8, 143.9, 139.2, 139.0, 137.9, 137.2, 134.6, 132.8, 130.2, 129.2, 128.5, 128.1, 127.4, 126.9, 125.8, 100.1, 21.6, 18.0.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>23</sub>H<sub>19</sub>NO<sub>2</sub>S, 374.1215, Found:374.1218.

### 6,7-difluoro-2-phenyl-3-tosylquinoline (3ha)



**3ha**

**3ha** was obtained in 67% (52.9 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 20/1 v/v).

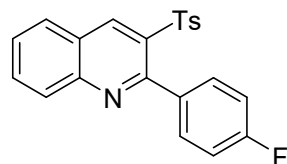
**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.15 (s, 1H), 7.86 – 7.80 (m, 1H), 7.74 (t,  $J$  = 8.9 Hz, 1H), 7.35 (t,  $J$  = 7.6 Hz, 1H), 7.22 (t,  $J$  = 7.6 Hz, 2H), 7.11 (d,  $J$  = 7.5 Hz, 2H), 7.04 (d,  $J$  = 7.9 Hz, 2H), 6.93 (d,  $J$  = 8.1 Hz, 2H), 2.27 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.8, 155.7, 155.6, 153.6, 153.5, 152.3, 152.2, 150.3, 150.2, 146.4, 146.3, 144.4, 138.2, 138.2, 137.9, 136.7, 135.7, 129.8, 129.3, 129.0, 128.2, 127.7, 123.1, 123.1, 116.1, 116.0, 114.4, 114.3, 77.4, 77.2, 76.9, 21.7.

**<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>):**  $\delta$  -125.34, -131.97.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>15</sub>F<sub>2</sub>NO<sub>2</sub>S, 396.0870, Found:396.0869.

### 2-(4-fluorophenyl)-3-tosylquinoline (3ia)



**3ia**

**3ia** was obtained in 56% (42.5 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.28 (s, 1H), 8.15 (d,  $J$  = 8.4 Hz, 1H), 8.08 (d,  $J$  = 8.2 Hz, 1H), 7.90 (t,  $J$  = 7.7 Hz, 1H), 7.75 – 7.69 (m, 1H), 7.21 (m,  $J$  = 8.5, 5.6 Hz, 2H),



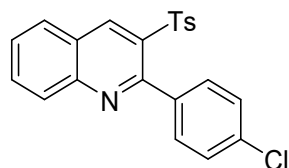
7.16 (d,  $J = 8.1$  Hz, 2H), 7.05 (d,  $J = 8.0$  Hz, 2H), 6.98 (t,  $J = 8.7$  Hz, 2H), 2.35 (s, 3H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  164.2, 162.3, 156.2, 148.8, 144.4, 139.3, 137.0, 135.0, 134.5, 134.4, 133.1, 131.9, 131.9, 129.5, 129.3, 129.2, 128.4, 128.0, 126.0, 114.7, 114.5, 21.7.

$^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ ):  $\delta$  -112.58.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{22}\text{H}_{16}\text{FNO}_2\text{S}$ , 378.0964, Found: 378.0950.

### 2-(4-chlorophenyl)-3-tosylquinoline (3ja)



**3ja**

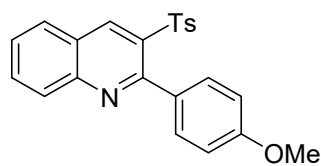
**3ja** was obtained in 68% (53.6 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.27 (s, 1H), 8.13 (d,  $J = 8.5$  Hz, 1H), 8.07 (d,  $J = 8.2$  Hz, 1H), 7.91 – 7.87 (m, 1H), 7.71 (t,  $J = 7.6$  Hz, 1H), 7.25 (d,  $J = 8.0$  Hz, 2H), 7.18 – 7.13 (m, 4H), 7.04 (d,  $J = 8.0$  Hz, 2H), 2.35 (s, 3H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  156.0, 148.8, 144.4, 139.2, 136.9, 136.8, 135.1, 135.0, 133.1, 131.3, 129.5, 129.3, 129.2, 128.5, 128.1, 127.8, 126.0, 77.4, 77.2, 76.9, 21.7.

HRMS (ESI-TOF,  $[\text{M} + \text{Na}]^+$ ): For  $\text{C}_{22}\text{H}_{16}\text{ClNO}_2\text{S}$ , 416.0488, Found: 416.0477.

### 2-(4-methoxyphenyl)-3-tosylquinoline (3ka)



**3ka**

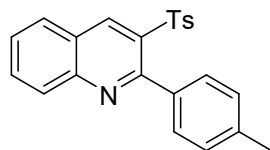
**3ka** was obtained in 59% (46.3 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 20/1 v/v).

$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.26 (s, 1H), 8.13 (d,  $J = 8.4$  Hz, 1H), 8.05 (d,  $J = 8.2$  Hz, 1H), 7.89 – 7.83 (m, 1H), 7.71 – 7.63 (m, 1H), 7.16 (t,  $J = 8.1$  Hz, 4H), 7.01 (d,  $J = 8.0$  Hz, 2H), 6.82 (d,  $J = 8.8$  Hz, 2H), 3.86 (s, 3H), 2.32 (s, 3H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  160.2, 157.0, 148.8, 144.0, 139.1, 137.0, 135.2, 132.8, 131.3, 130.9, 129.4, 129.1, 129.1, 128.1, 128.0, 125.7, 113.1, 77.2, 55.5, 21.6.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>23</sub>H<sub>19</sub>NO<sub>3</sub>S, 390.1164, Found:390.1172.

**2-(p-tolyl)-3-tosylquinoline (3la)**



**3la**

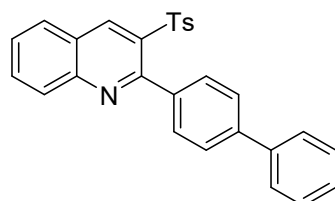
**3la** was obtained in 80% (59.8 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 20/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):** δ 9.26 (s, 1H), 8.14 (d, *J* = 8.5 Hz, 1H), 8.04 (d, *J* = 8.1 Hz, 1H), 7.89 – 7.83 (m, 1H), 7.67 (t, *J* = 7.5 Hz, 1H), 7.15 (d, *J* = 7.9 Hz, 2H), 7.09 (s, 4H), 6.99 (d, *J* = 7.9 Hz, 2H), 2.41 (s, 3H), 2.32 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):** δ 157.3, 148.7, 144.0, 139.0, 138.6, 137.0, 135.5, 135.0, 132.8, 129.6, 129.4, 129.0, 129.0, 128.1, 128.1, 128.0, 125.7, 21.6, 21.4.

**HRMS (ESI-TOF, [M + Na]<sup>+</sup>):** For C<sub>23</sub>H<sub>19</sub>NNaO<sub>2</sub>S, 396.1034, Found: 396.1022.

**2-([1,1'-biphenyl]-4-yl)-3-tosylquinoline (3ma)**



**3ma**

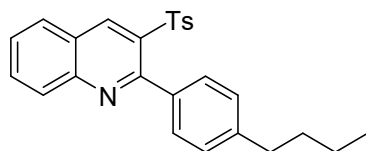
**3ma** was obtained in 40% (35 mg) as a yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):** δ 9.32 (s, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 8.09 (d, *J* = 9.6 Hz, 1H), 7.90 (t, *J* = 8.4 Hz, 1H), 7.75 – 7.69 (m, 1H), 7.65 (d, *J* = 7.3 Hz, 2H), 7.55 – 7.48 (m, 4H), 7.42 (t, *J* = 7.4 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.20 (d, *J* = 8.4 Hz, 2H), 6.99 (d, *J* = 8.0 Hz, 2H), 2.33 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):** δ 156.9, 148.9, 144.1, 141.7, 140.9, 139.0, 137.3, 137.0, 135.2, 133.0, 130.3, 129.5, 129.2, 129.1, 129.0, 128.2, 128.2, 127.7, 127.3, 126.3, 125.9, 77.4, 77.2, 76.9, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>28</sub>H<sub>21</sub>NO<sub>2</sub>S, 436.1371, Found:436.1372.

**2-(4-butylphenyl)-3-tosylquinoline (3na)**



**3na**

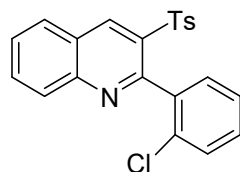
**3na** was obtained in 77% (63.8 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.28 (s, 1H), 8.14 (d,  $J$  = 8.5 Hz, 1H), 8.04 (d,  $J$  = 8.2 Hz, 1H), 7.88 – 7.81 (m, 1H), 7.68 – 7.64 (m, 1H), 7.13 (d,  $J$  = 8.1 Hz, 4H), 7.09 (d,  $J$  = 8.2 Hz, 2H), 6.96 (d,  $J$  = 8.1 Hz, 2H), 2.66 (t,  $J$  = 7.6 Hz, 2H), 2.31 (s, 3H), 1.64 (t,  $J$  = 6.6 Hz, 2H), 1.42 (q,  $J$  = 7.7 Hz, 2H), 0.98 (t,  $J$  = 7.3 Hz, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.3, 148.8, 143.9, 143.6, 138.9, 137.0, 135.7, 135.0, 132.7, 129.7, 129.4, 129.0, 129.0, 128.1, 128.0, 127.6, 125.7, 77.4, 77.2, 76.9, 35.5, 33.9, 22.3, 21.6, 14.0.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>26</sub>H<sub>25</sub>NO<sub>2</sub>S, 416.1684, Found:416.1685.

### 2-(2-chlorophenyl)-3-tosylquinoline (3oa)



**3oa**

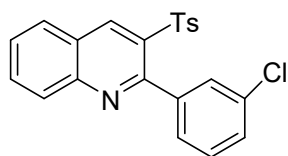
**3oa** was obtained in 65% (51 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):**  $\delta$  9.31 (s, 1H), 8.17 (d,  $J$  = 8.5 Hz, 1H), 8.10 (d,  $J$  = 8.2 Hz, 1H), 7.90 (t,  $J$  = 7.7 Hz, 1H), 7.73 (t,  $J$  = 7.6 Hz, 1H), 7.38 – 7.29 (m, 3H), 7.20 (d,  $J$  = 8.3 Hz, 3H), 7.07 (d,  $J$  = 8.0 Hz, 2H), 2.37 (s, 3H).

**<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>):**  $\delta$  154.3, 148.8, 144.6, 139.2, 136.5, 136.4, 134.8, 134.2, 133.0, 132.1, 130.2, 129.6, 129.4, 129.2, 128.6, 128.4, 126.2, 126.0, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>16</sub>ClNO<sub>2</sub>S, 394.0669, Found:394.0663.

### 2-(3-chlorophenyl)-3-tosylquinoline (3pa)



**3pa**

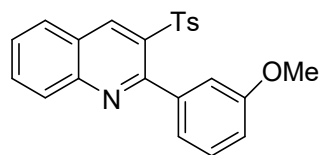
**3pa** was obtained in 40% (31.1 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.30 (s, 1H), 8.15 (d,  $J$  = 8.5 Hz, 1H), 8.09 (d,  $J$  = 8.2 Hz, 1H), 7.91 (t,  $J$  = 8.4 Hz, 1H), 7.73 (t,  $J$  = 7.6 Hz, 1H), 7.36 (m,  $J$  = 6.0, 2.4 Hz, 1H), 7.32 – 7.28 (m, 2H), 7.16 (d,  $J$  = 8.1 Hz, 2H), 7.06 (d,  $J$  = 8.0 Hz, 2H), 6.81 (s, 1H), 2.37 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  155.6, 148.7, 144.6, 139.8, 139.0, 136.8, 135.0, 133.7, 133.2, 129.5, 129.5, 129.4, 129.2, 128.9, 128.8, 128.6, 128.3, 128.0, 126.0, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>16</sub>ClNO<sub>2</sub>S, 394.0669, Found:394.0662.

### 2-(3-methoxyphenyl)-3-tosylquinoline (3qa)



**3qa**

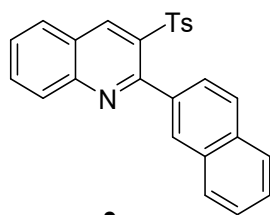
**3qa** was obtained in 53% (41.7mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.30 (s, 1H), 8.17 (d,  $J$  = 8.5 Hz, 1H), 8.08 (d,  $J$  = 8.2 Hz, 1H), 7.89 (t,  $J$  = 7.7 Hz, 1H), 7.71 (t,  $J$  = 7.6 Hz, 1H), 7.20 (t,  $J$  = 7.9 Hz, 1H), 7.15 (d,  $J$  = 8.0 Hz, 2H), 7.02 (s, 2H), 6.93 (dd,  $J$  = 8.5, 2.6 Hz, 1H), 6.85 (d,  $J$  = 7.2 Hz, 1H), 6.57 (s, 1H), 3.68 (s, 3H), 2.33 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  158.8, 156.9, 148.7, 144.0, 139.2, 139.0, 136.9, 135.1, 133.0, 129.5, 129.2, 129.1, 128.7, 128.3, 128.2, 125.9, 122.4, 115.5, 114.2, 77.2, 55.1, 21.6.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>23</sub>H<sub>19</sub>NO<sub>3</sub>S, 390.1164, Found:390.1172.

### 2-(naphthalen-2-yl)-3-tosylquinoline (3ra)



**3ra**

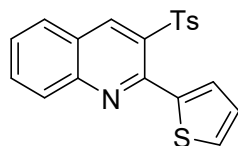
**3ra** was obtained in 80% (65.4 mg) as a yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.34 (s, 1H), 8.18 (d,  $J$  = 8.5 Hz, 1H), 8.10 (d,  $J$  = 9.7 Hz, 1H), 7.90 (m,  $J$  = 15.5, 8.6 Hz, 2H), 7.78 (d,  $J$  = 8.4 Hz, 1H), 7.73 (t,  $J$  = 7.6 Hz, 1H), 7.64 (d,  $J$  = 8.0 Hz, 1H), 7.58 – 7.46 (m, 3H), 7.38 (d,  $J$  = 8.4 Hz, 1H), 7.01 (d,  $J$  = 8.2 Hz, 2H), 6.74 (d,  $J$  = 8.1 Hz, 2H), 2.21 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.2, 148.8, 144.1, 139.0, 136.9, 135.7, 135.3, 133.2, 133.0, 132.5, 129.5, 129.4, 129.2, 129.1, 128.4, 128.3, 128.0, 127.7, 127.2, 127.1, 126.8, 126.3, 126.0, 21.5.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>26</sub>H<sub>19</sub>NO<sub>2</sub>S, 410.1215, Found:410.1207.

### 2-(thiophen-2-yl)-3-tosylquinoline (3sa)



**3sa**

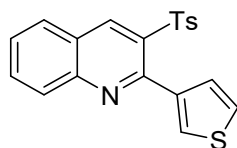
**3sa** was obtained in 63% (46.0 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.26 (s, 1H), 8.12 (d,  $J$  = 8.5 Hz, 1H), 8.02 (d,  $J$  = 8.2 Hz, 1H), 7.89 – 7.83 (m, 1H), 7.73 (d,  $J$  = 3.6 Hz, 1H), 7.69 – 7.64 (m, 1H), 7.39 (d,  $J$  = 5.2 Hz, 1H), 7.31 (d,  $J$  = 8.0 Hz, 2H), 7.09 – 7.03 (m, 3H), 2.31 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  150.0, 148.7, 144.2, 139.8, 139.3, 136.5, 134.7, 133.0, 131.9, 129.3, 129.3, 129.0, 128.9, 128.3, 128.0, 127.0, 125.6, 21.6.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>20</sub>H<sub>15</sub>NO<sub>2</sub>S<sub>2</sub>, 366.0622, Found:366.0619.

### 2-(thiophen-3-yl)-3-tosylquinoline (3ta)



**3ta**

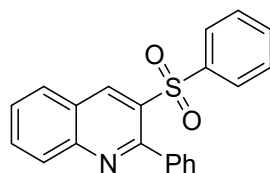
**3ta** was obtained in 74% (53.8 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.27 (s, 1H), 8.13 (d,  $J$  = 8.5 Hz, 1H), 8.05 (d,  $J$  = 8.2 Hz, 1H), 7.87 (t,  $J$  = 7.7 Hz, 1H), 7.69 (t,  $J$  = 7.6 Hz, 1H), 7.45 (d,  $J$  = 3.0 Hz, 1H), 7.24 – 7.19 (m, 3H), 7.06 (t,  $J$  = 10.2, 6.5 Hz, 3H), 2.32 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  152.6, 148.8, 144.1, 139.1, 138.5, 136.7, 134.9, 132.9, 129.7, 129.4, 129.3, 129.1, 128.2, 127.9, 127.8, 125.8, 124.6, 77.2, 21.6.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>20</sub>H<sub>15</sub>NO<sub>2</sub>S<sub>2</sub>, 366.0622, Found:366.0616.

### 2-phenyl-3-(phenylsulfonyl)quinoline (**3ab**)



**3ab**

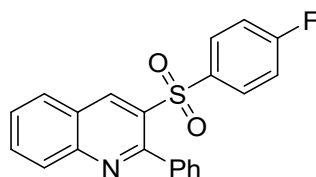
**3ab** was obtained in 69% (47.8 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.32 (s, 1H), 8.16 (d,  $J$  = 8.4 Hz, 1H), 8.08 (d,  $J$  = 8.2 Hz, 1H), 7.88 (t,  $J$  = 7.0 Hz, 1H), 7.70 (t,  $J$  = 7.6 Hz, 1H), 7.39 (m,  $J$  = 13.6, 7.4 Hz, 2H), 7.28 – 7.21 (m, 4H), 7.21 – 7.16 (m, 4H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.1, 148.8, 139.8, 139.2, 138.2, 134.7, 133.0, 129.7, 129.5, 129.1, 128.7, 128.6, 128.3, 128.0, 127.6, 125.8.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>21</sub>H<sub>15</sub>NO<sub>2</sub>S, 346.0902, Found:346.0901.

### 3-((4-fluorophenyl)sulfonyl)-2-phenylquinoline (**3ac**)



**3ac**

**3ac** was obtained in 49% (35.3 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

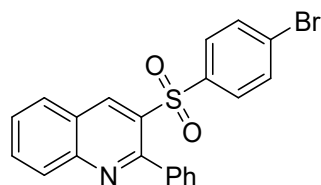
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):**  $\delta$  9.30 (s, 1H), 8.16 (d,  $J$  = 8.4 Hz, 1H), 8.08 (s, 1H), 7.93 – 7.87 (m, 1H), 7.72 (t,  $J$  = 7.5 Hz, 1H), 7.41 (t,  $J$  = 8.1 Hz, 1H), 7.30 (t,  $J$  = 8.0 Hz, 2H), 7.22 (m,  $J$  = 13.2, 5.8 Hz, 4H), 6.86 (t,  $J$  = 8.5 Hz, 2H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  166.3, 164.3, 157.0, 148.9, 139.2, 138.2, 135.9, 134.6, 133.2, 131.0, 130.9, 129.8, 129.5, 129.2, 128.9, 128.4, 127.8, 125.8, 116.0, 115.8.

**<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>):**  $\delta$  -103.93.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>21</sub>H<sub>14</sub>FNO<sub>2</sub>S, 364.0808, Found:364.0805.

### 3-((4-bromophenyl)sulfonyl)-2-phenylquinoline (3ad)



**3ad**

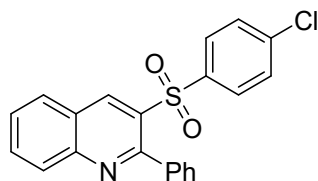
**3ad** was obtained in 48% (40.9 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.30 (s, 1H), 8.17 (d,  $J$  = 8.5 Hz, 1H), 8.09 (d,  $J$  = 8.0 Hz, 1H), 7.91 (t,  $J$  = 7.0 Hz, 1H), 7.72 (t,  $J$  = 7.6 Hz, 1H), 7.53 (d,  $J$  = 8.0 Hz, 1H), 7.45 (t,  $J$  = 7.5 Hz, 1H), 7.30 (q,  $J$  = 15.7, 8.0 Hz, 3H), 7.19 (d,  $J$  = 7.4 Hz, 3H), 7.08 (t,  $J$  = 7.9 Hz, 1H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  156.9, 148.9, 141.6, 139.3, 137.7, 136.2, 134.2, 133.3, 131.1, 130.1, 129.7, 129.5, 129.2, 129.2, 128.4, 127.7, 126.5, 125.8, 122.6.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>21</sub>H<sub>14</sub>BrNO<sub>2</sub>S, 424.0007, Found:424.0008.

### 3-((4-chlorophenyl)sulfonyl)-2-phenylquinoline (3ae)



**3ae**

**3ae** was obtained in 47% (35.5 mg) as a white solid after column chromatography

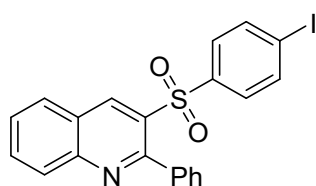
(eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.30 (s, 1H), 8.17 (d,  $J$  = 8.5 Hz, 1H), 8.09 (d,  $J$  = 8.2 Hz, 1H), 7.91 (t,  $J$  = 7.7 Hz, 1H), 7.73 (t,  $J$  = 7.6 Hz, 1H), 7.42 (t,  $J$  = 7.5 Hz, 1H), 7.30 (t,  $J$  = 7.6 Hz, 2H), 7.20 (d,  $J$  = 8.3 Hz, 2H), 7.15 (s, 4H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>):**  $\delta$  157.0, 149.0, 139.8, 139.3, 138.4, 138.1, 134.4, 133.3, 129.9, 129.6, 129.5, 129.2, 129.0, 128.9, 128.4, 127.8, 125.9.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>21</sub>H<sub>14</sub>ClNO<sub>2</sub>S, 380.0512, Found:380.0509.

### 3-((4-iodophenyl)sulfonyl)-2-phenylquinoline (3af)



**3af**

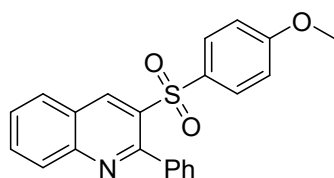
**3af** was obtained in 46% (43.2 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)**  $\delta$  9.29 (s, 1H), 8.17 (d,  $J$  = 8.4 Hz, 1H), 8.09 (d,  $J$  = 8.2 Hz, 1H), 7.94 – 7.88 (m, 1H), 7.78 – 7.68 (m, 1H), 7.54 (d,  $J$  = 8.6 Hz, 2H), 7.45 – 7.39 (m, 1H), 7.30 (t,  $J$  = 7.8 Hz, 2H), 7.19 (d,  $J$  = 6.7 Hz, 2H), 6.92 (d,  $J$  = 8.5 Hz, 2H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)**  $\delta$  157.0, 149.0, 139.6, 139.3, 137.9, 134.4, 133.2, 129.9, 129.6, 129.3, 129.2, 129.0, 128.4, 127.8, 125.8, 101.0, 77.4, 77.2, 76.9.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>21</sub>H<sub>15</sub>INO<sub>2</sub>S, 471.9868, Found:471.9859.

### 3-((4-methoxyphenyl)sulfonyl)-2-phenylquinoline (3ag)



**3ag**

**3ag** was obtained in 31% (23.2 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):**  $\delta$  9.28 (s, 1H), 8.16 (d,  $J$  = 8.4 Hz, 1H), 8.07 (d,  $J$  = 8.5

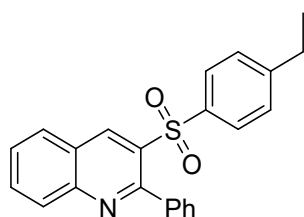


Hz, 1H), 7.92 – 7.84 (m, 1H), 7.73 – 7.66 (m, 1H), 7.40 (t,  $J = 6.6$  Hz, 1H), 7.34 – 7.28 (m, 2H), 7.23 (d,  $J = 7.8$  Hz, 2H), 7.18 – 7.13 (m, 2H), 6.70 – 6.61 (m, 2H), 3.79 (s, 3H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  163.3, 157.2, 148.7, 138.9, 138.5, 135.3, 132.9, 131.4, 130.4, 129.8, 129.5, 129.1, 128.8, 128.2, 127.7, 125.9, 113.9, 55.7.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{22}\text{H}_{17}\text{NO}_3\text{S}$ , 376.1007, Found:376.1009.

### 3-((4-ethylphenyl)sulfonyl)-2-phenylquinoline (3ah)



**3ah**

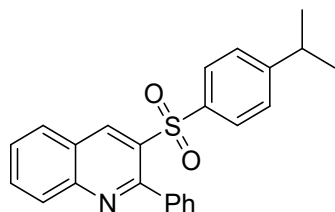
**3ah** was obtained in 41% (30.3 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

$^1\text{H}$  NMR (500MHz,  $\text{CDCl}_3$ ):  $\delta$  9.32 (s, 1H), 8.17 (d,  $J = 8.5$  Hz, 1H), 8.09 (d,  $J = 6.8$  Hz, 1H), 7.93 – 7.87 (m, 1H), 7.72 (t,  $J = 8.2$  Hz, 1H), 7.40 (t,  $J = 7.5$  Hz, 1H), 7.28 (t,  $J = 7.6$  Hz, 2H), 7.20 (d,  $J = 7.8$  Hz, 2H), 7.16 (d,  $J = 8.3$  Hz, 2H), 7.02 (d,  $J = 8.0$  Hz, 2H), 2.62 (q,  $J = 7.6$  Hz, 2H), 1.19 (t,  $J = 7.6$  Hz, 3H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  157.2, 150.2, 148.8, 139.0, 138.3, 137.1, 135.1, 132.9, 129.7, 129.5, 129.1, 128.7, 128.2, 128.2, 128.1, 127.6, 125.9, 28.9, 15.4.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{23}\text{H}_{19}\text{NO}_2\text{S}$ , 374.1215, Found:374.1216.

### 3-((4-isopropylphenyl)sulfonyl)-2-phenylquinoline (3ai)



**3ai**

**3ai** was obtained in 48% (37.5 mg) as a yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

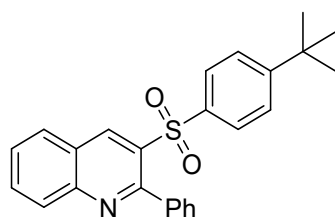
$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.25 (s, 1H), 8.09 (d,  $J = 8.5$  Hz, 1H), 8.01 (d,  $J = 9.7$

Hz, 1H), 7.81 (t,  $J = 7.7$  Hz, 1H), 7.63 (t,  $J = 8.2$  Hz, 1H), 7.31 (t,  $J = 7.4$  Hz, 1H), 7.18 (t,  $J = 7.7$  Hz, 2H), 7.10 (q,  $J = 12.3, 8.2$  Hz, 4H), 6.96 (d,  $J = 8.4$  Hz, 2H), 2.79 (m,  $J = 6.9$  Hz, 1H), 1.12 (d,  $J = 6.9$  Hz, 6H).

$^{13}\text{C}$  NMR (125MHz,  $\text{CDCl}_3$ ):  $\delta$  157.1, 154.7, 148.7, 138.9, 138.3, 137.1, 135.0, 132.9, 129.7, 129.4, 129.1, 128.6, 128.2, 128.1, 127.5, 126.7, 125.8, 34.2, 23.6.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{24}\text{H}_{21}\text{NO}_2\text{S}$ , 388.1371, Found:388.1355.

### 3-((4-(tert-butyl)phenyl)sulfonyl)-2-phenylquinoline (3aj)



**3aj**

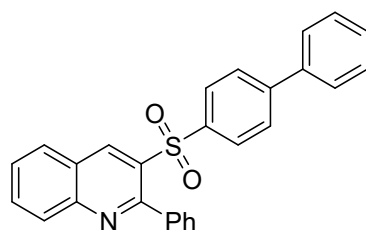
**3aj** was obtained in 52% (42.0 mg) as a yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.23 (s, 1H), 8.07 (d,  $J = 8.5$  Hz, 1H), 7.99 (d,  $J = 8.3$  Hz, 1H), 7.79 (t,  $J = 8.5$  Hz, 1H), 7.62 (d,  $J = 6.9$  Hz, 1H), 7.29 (t,  $J = 7.4$  Hz, 1H), 7.15 (t,  $J = 7.8$  Hz, 2H), 7.08 (q,  $J = 8.7$  Hz, 6H), 1.17 (s, 9H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  156.1, 155.9, 147.8, 137.9, 137.3, 135.7, 134.1, 131.9, 128.7, 128.4, 128.1, 127.6, 127.2, 126.9, 126.6, 124.8, 124.6, 34.1, 30.0.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{25}\text{H}_{23}\text{NO}_2\text{S}$ , 402.1528, Found:402.1525.

### 3-([1,1'-biphenyl]-4-ylsulfonyl)-2-phenylquinoline (3ak)



**3ak**

**3ak** was obtained in 35% (30.0 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

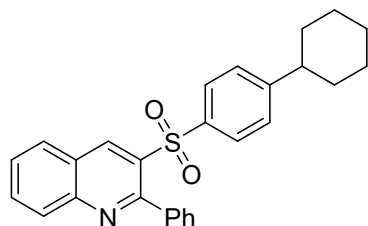
$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.27 (s, 1H), 8.10 (d,  $J = 8.4$  Hz, 1H), 8.03 (d,  $J = 9.7$  Hz, 1H), 7.82 (t,  $J = 8.5$  Hz, 1H), 7.65 (t,  $J = 8.2$  Hz, 1H), 7.43 (d,  $J = 7.0$  Hz, 2H), 7.38

(t,  $J = 7.4$  Hz, 2H), 7.32 (d,  $J = 8.5$  Hz, 4H), 7.21 (dd,  $J = 12.2, 8.0$  Hz, 4H), 7.14 (d,  $J = 6.5$  Hz, 2H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  157.2, 148.9, 146.0, 139.3, 139.1, 138.4, 138.4, 135.0, 133.0, 129.9, 129.6, 129.2, 128.8, 128.7, 128.6, 128.3, 127.7, 127.4, 127.2, 125.9.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{27}\text{H}_{19}\text{NO}_2\text{S}$ , 422.1215, Found:422.1204.

### 3-((4-cyclohexylphenyl)sulfonyl)-2-phenylquinoline (3al)



**3al**

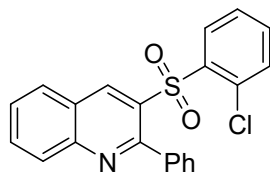
**3al** was obtained in 54% (45.8 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.22 (s, 1H), 8.07 (d,  $J = 8.5$  Hz, 1H), 7.99 (d,  $J = 6.9$  Hz, 1H), 7.79 (t,  $J = 7.0$  Hz, 1H), 7.61 (t,  $J = 7.6$  Hz, 1H), 7.29 (t,  $J = 7.5$  Hz, 1H), 7.18 – 7.13 (m, 2H), 7.06 (q,  $J = 10.9, 7.8$  Hz, 4H), 6.92 (d,  $J = 6.4$  Hz, 2H), 2.41 – 2.34 (m, 1H), 1.74 (d,  $J = 12.6$  Hz, 2H), 1.69 (d,  $J = 10.4$  Hz, 3H), 1.33 – 1.19 (m, 5H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  157.2, 153.8, 148.8, 138.9, 138.3, 137.0, 135.1, 132.9, 129.7, 129.4, 129.1, 128.6, 128.2, 128.1, 127.6, 127.1, 125.9, 77.4, 77.2, 76.9, 44.6, 34.1, 26.7, 26.0.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{27}\text{H}_{25}\text{NO}_2\text{S}$ , 428.1684, Found:428.1681.

### 3-((2-chlorophenyl)sulfonyl)-2-phenylquinoline (3am)



**3am**

**3am** was obtained in 80% (60.4 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 8/1 v/v).

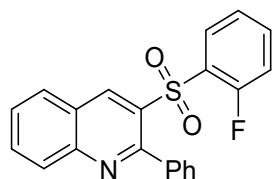
$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.37 (s, 1H), 8.20 (d,  $J = 8.5$  Hz, 1H), 8.11 (d,  $J = 8.1$

Hz, 1H), 7.97 – 7.88 (m, 1H), 7.73 (t,  $J = 7.8$  Hz, 1H), 7.34 (t,  $J = 8.7$  Hz, 2H), 7.27 (d,  $J = 8.1$  Hz, 2H), 7.16 (d,  $J = 3.4$  Hz, 4H), 7.00 (t,  $J = 7.8$  Hz, 1H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  156.5, 148.9, 140.6, 137.8, 137.1, 134.3, 133.8, 133.1, 131.8, 131.6, 131.1, 129.5, 129.3, 129.2, 128.7, 128.3, 127.6, 126.8, 125.9.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{21}\text{H}_{14}\text{ClNO}_2\text{S}$ , 380.0512, Found:380.0501.

### 3-((2-fluorophenyl)sulfonyl)-2-phenylquinoline (3an)



**3an**

**3an** was obtained in 59% (43.0 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

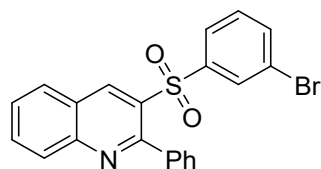
$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.34 (s, 1H), 8.18 (d,  $J = 8.4$  Hz, 1H), 8.09 (d,  $J = 8.2$  Hz, 1H), 7.90 (t,  $J = 7.7$  Hz, 1H), 7.71 (t,  $J = 7.5$  Hz, 1H), 7.42 (q,  $J = 7.2$  Hz, 1H), 7.32 (t,  $J = 7.3$  Hz, 1H), 7.17 (m,  $J = 15.4, 7.6$  Hz, 5H), 6.95 (t,  $J = 9.1$  Hz, 1H), 6.90 (t,  $J = 7.7$  Hz, 1H).

$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  159.8, 157.7, 156.7, 148.9, 140.0, 137.9, 135.8, 135.7, 134.3, 133.2, 130.5, 129.4, 129.4, 129.2, 128.7, 128.3, 128.0, 127.9, 127.6, 125.9, 124.1, 124.1, 116.6, 116.4.

$^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ ):  $\delta$  -109.34.

HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ): For  $\text{C}_{21}\text{H}_{14}\text{FNO}_2\text{S}$ , 364.0808, Found:364.0793.

### 3-((3-bromophenyl)sulfonyl)-2-phenylquinoline (3ao)



**3ao**

**3ao** was obtained in 53% (44.9 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

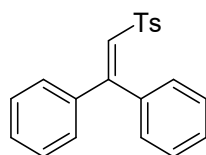
$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.30 (s, 1H), 8.16 (d,  $J = 11.1$  Hz, 1H), 8.09 (d,  $J = 8.2$

Hz, 1H), 7.95 – 7.87 (m, 1H), 7.71 (t,  $J = 7.7$  Hz, 1H), 7.53 (d,  $J = 7.4$  Hz, 1H), 7.45 (t,  $J = 7.4$  Hz, 1H), 7.36 – 7.27 (m, 3H), 7.19 (d,  $J = 4.9$  Hz, 3H), 7.08 (m,  $J = 7.9$ , 2.7 Hz, 1H).

**$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):**  $\delta$  156.9, 149.0, 141.7, 139.3, 137.7, 136.2, 134.2, 133.3, 131.2, 130.1, 129.7, 129.5, 129.2, 129.2, 128.4, 127.7, 126.5, 125.8, 122.6.

**HRMS (ESI-TOF,  $[\text{M} + \text{H}]^+$ ):** For  $\text{C}_{21}\text{H}_{15}\text{BrNO}_2\text{S}$ , 424.0007, Found: 424.0001.

**(2-tosylethene-1,1-diyl)dibenzene (4)**



**4**

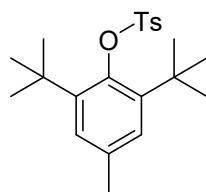
**4** was obtained in 32% (65 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 15/1 v/v).

**$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):**  $\delta$  7.53 – 7.47 (m, 2H), 7.38 (m,  $J = 9.3$ , 4.5 Hz, 2H), 7.32 (d,  $J = 4.0$  Hz, 4H), 7.22 (d,  $J = 8.1$  Hz, 2H), 7.16 (d,  $J = 7.8$  Hz, 2H), 7.12 (t,  $J = 8.1$  Hz, 2H), 7.02 (d,  $J = 4.8$  Hz, 1H), 2.39 (s, 3H).

**$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):**  $\delta$  154.8, 143.8, 139.3, 138.7, 135.7, 130.3, 129.9, 129.4, 129.0, 128.9, 128.6, 128.3, 127.9, 127.8, 77.4, 77.2, 76.9, 21.6.

**HRMS (ESI-TOF,  $[\text{M} + \text{Na}]^+$ ):** For  $\text{C}_{21}\text{H}_{18}\text{NaO}_2\text{S}$ , 357.0925, Found: 357.0916.

**2,6-di-tert-butyl-4-methylphenyl 4-methylbenzenesulfonate (5)**



**5**

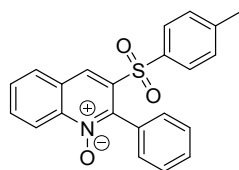
**5** was obtained in 29% (65.8 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 20/1 v/v).

**$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):**  $\delta$  7.50 (d,  $J = 8.2$  Hz, 2H), 7.17 (d,  $J = 8.0$  Hz, 2H), 6.62 (s, 2H), 2.35 (s, 3H), 1.80 (s, 3H), 1.09 (s, 18H).

**$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ):**  $\delta$  183.8, 151.3, 145.4, 135.8, 130.8, 130.4, 128.9, 77.4, 77.2, 76.9, 65.9, 35.3, 29.1, 21.7, 18.6.

**HRMS (ESI-TOF, [M + Na]<sup>+</sup>):** For C<sub>22</sub>H<sub>30</sub>NaO<sub>3</sub>S, 397.1813, Found:397.1804.

**1-(λ<sup>1</sup>-oxidanyl)-2-phenyl-3-tosyl-1λ<sup>4</sup>-quinoline (6)**



**6**

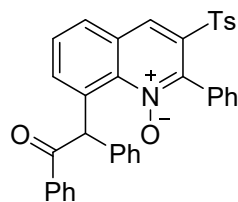
**6** was obtained in 78% (88.1mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 4/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>):** δ 8.85 (s, 1H), 8.71 (d, J = 8.8 Hz, 1H), 8.10 (d, J = 8.2 Hz, 1H), 7.90 (t, J = 7.8 Hz, 1H), 7.78 (t, J = 7.5 Hz, 1H), 7.42 (t, J = 7.5 Hz, 1H), 7.30 (t, J = 7.8 Hz, 2H), 7.10 (d, J = 8.5 Hz, 2H), 7.02 (q, J = 11.7, 7.5 Hz, 4H), 2.36 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)** δ 144.6, 144.0, 143.4, 136.7, 136.3, 133.3, 130.5, 130.0, 129.9, 129.6, 129.5, 129.4, 128.2, 128.1, 127.4, 126.1, 120.6, 77.4, 77.2, 76.9, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>22</sub>H<sub>17</sub>NO<sub>3</sub>S, 376.1007, Found: 376.1001.

**8-(2-oxo-1,2-diphenylethyl)-2-phenyl-3-tosylquinoline 1-oxide(7)**



**7**

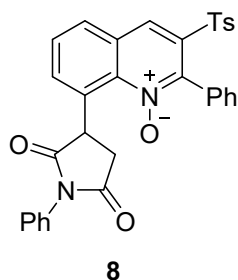
**7** was obtained in 43% (48.9 mg) as a yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 10/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)** δ 9.23 (s, 1H), 7.95 (d, J = 8.3 Hz, 1H), 7.92 (d, J = 8.3 Hz, 2H), 7.59 (t, J = 7.7 Hz, 1H), 7.47 (d, J = 7.2 Hz, 1H), 7.41 (d, J = 7.7 Hz, 2H), 7.39 – 7.34 (m, 3H), 7.32 – 7.27 (m, 2H), 7.21 (t, J = 7.8 Hz, 2H), 7.16 (s, 1H), 7.11 (d, J = 8.6 Hz, 2H), 7.07 (d, J = 5.1 Hz, 4H), 6.95 (d, J = 8.3 Hz, 2H), 2.29 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)** δ 199.3, 156.0, 146.1, 144.0, 140.2, 139.4, 138.3, 137.5, 136.9, 136.8, 135.2, 133.0, 132.6, 130.1, 130.1, 129.2, 129.1, 128.9, 128.4, 128.3, 128.2, 128.1, 127.6, 127.1, 125.8, 77.4, 77.2, 76.9, 54.2, 29.8, 21.6.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>36</sub>H<sub>28</sub>NO<sub>4</sub>S, 570.1739, Found:570.1726.

### 8-(2,5-dioxo-1-phenylpyrrolidin-3-yl)-2-phenyl-3-tosylquinoline 1-oxide(8)



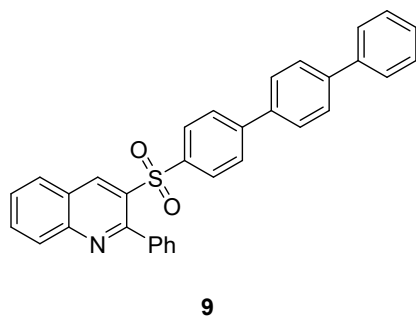
**8** was obtained in 62% (169.8 mg) as a yellow solid after column chromatography (eluent: petroleum ether/ethyl acetate = 1/1 v/v).

**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)**  $\delta$  8.80 (s, 1H), 8.14 – 8.03 (m, 1H), 7.73 (d, *J* = 4.3 Hz, 2H), 7.48 – 7.37 (m, 2H), 7.30 (s, 3H), 7.24 (d, *J* = 7.3 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.09 – 6.96 (m, 6H), 6.71 (d, *J* = 7.7 Hz, 1H), 4.22 (t, *J* = 7.9 Hz, 1H), 3.24 – 3.13 (m, 2H), 2.34 (s, 3H).

**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)**  $\delta$  176.2, 175.0, 145.2, 144.8, 141.6, 139.0, 137.0, 135.9, 133.2, 131.5, 131.3, 130.7, 130.3, 129.8, 129.5, 129.4, 129.4, 129.3, 129.0, 128.5, 128.3, 128.2, 127.9, 127.6, 126.4, 77.4, 77.2, 76.9, 49.1, 39.5, 29.8, 27.2, 21.7.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>32</sub>H<sub>25</sub>N<sub>2</sub>O<sub>5</sub>S, 549.1484, Found:549.1481.

### 3-([1,1':4',1''-terphenyl]-4-ylsulfonyl)-2-phenylquinoline(9)



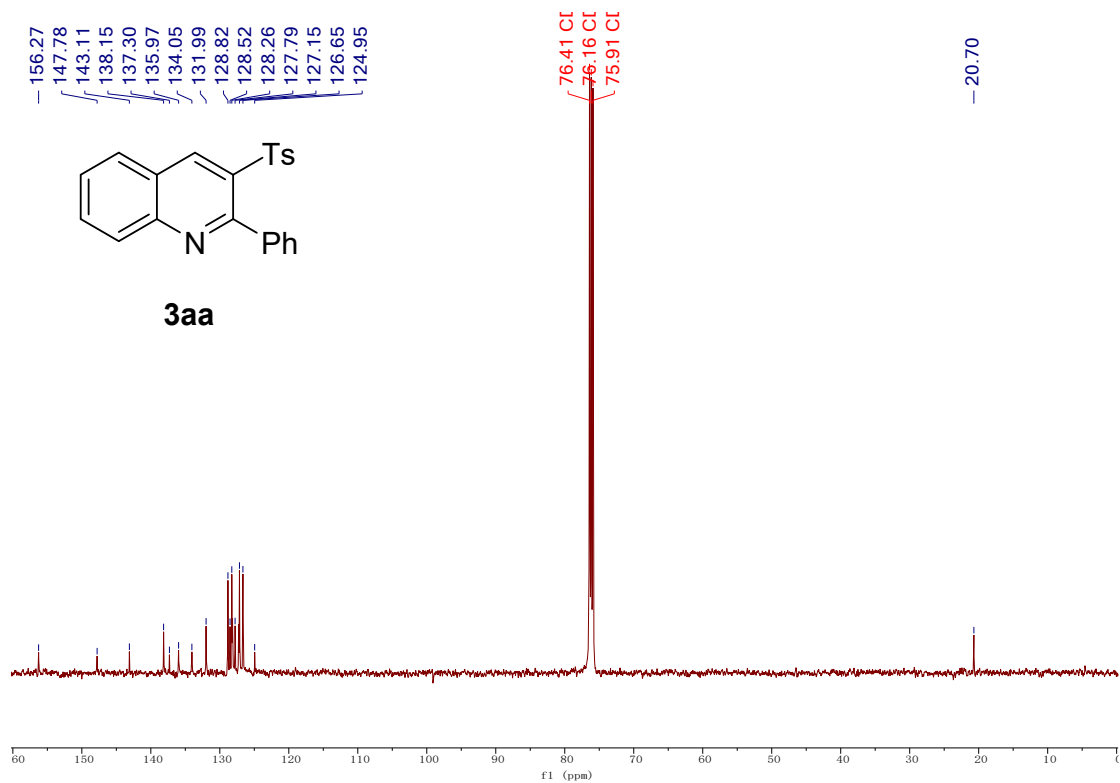
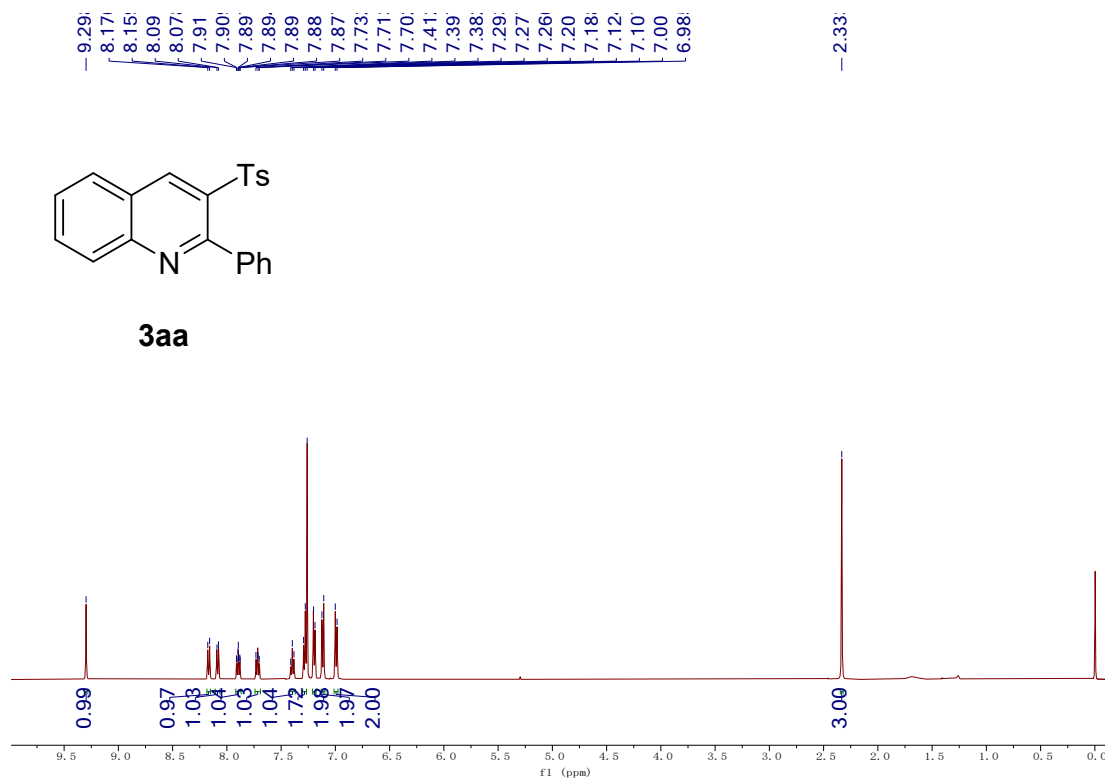
**9** was obtained in 61% (60.6 mg) as a white solid after column chromatography (eluent: petroleum ether/ethyl acetate = 4/1 v/v).

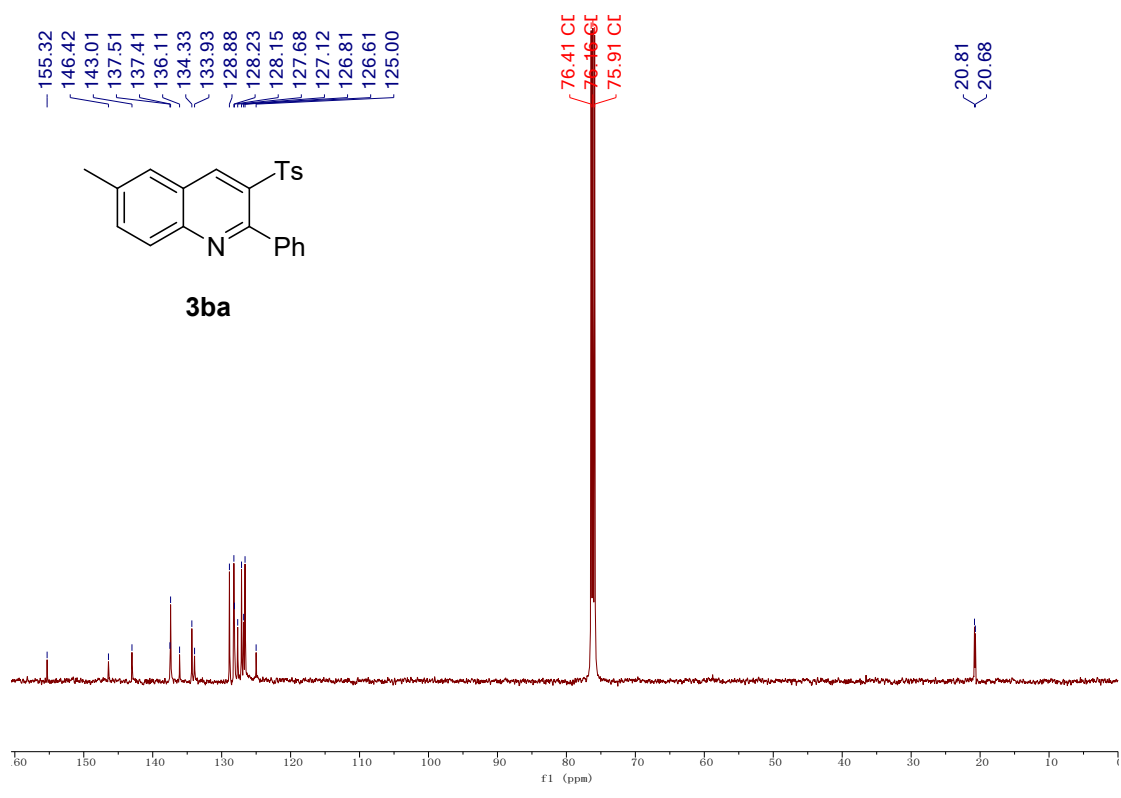
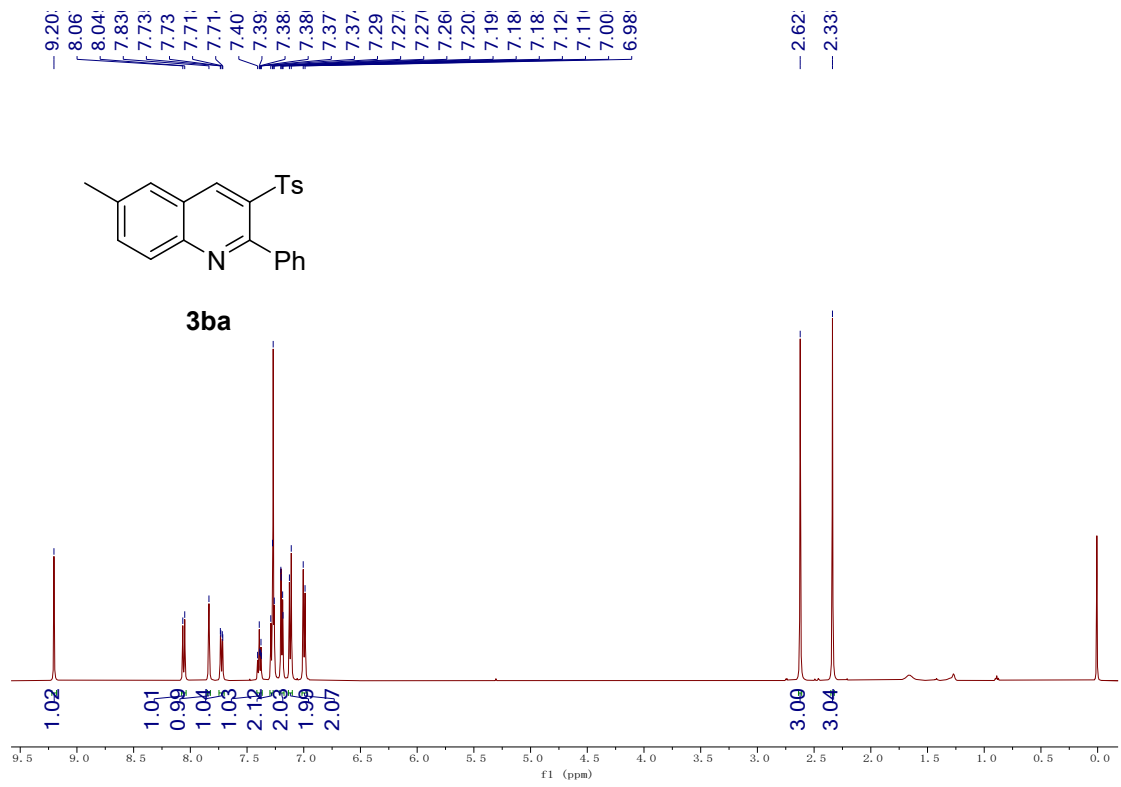
**<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)**  $\delta$  9.36 (s, 1H), 8.18 (d, *J* = 8.5 Hz, 1H), 8.12 (d, *J* = 8.2 Hz, 1H), 7.91 (t, *J* = 7.0 Hz, 1H), 7.74 (t, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.62 (dd, *J* = 14.2, 8.3 Hz, 4H), 7.46 (dd, *J* = 17.2, 8.0 Hz, 4H), 7.44 – 7.37 (m, 2H), 7.30 (dd, *J* = 11.5, 8.1 Hz, 4H), 7.23 (d, *J* = 6.8 Hz, 2H).

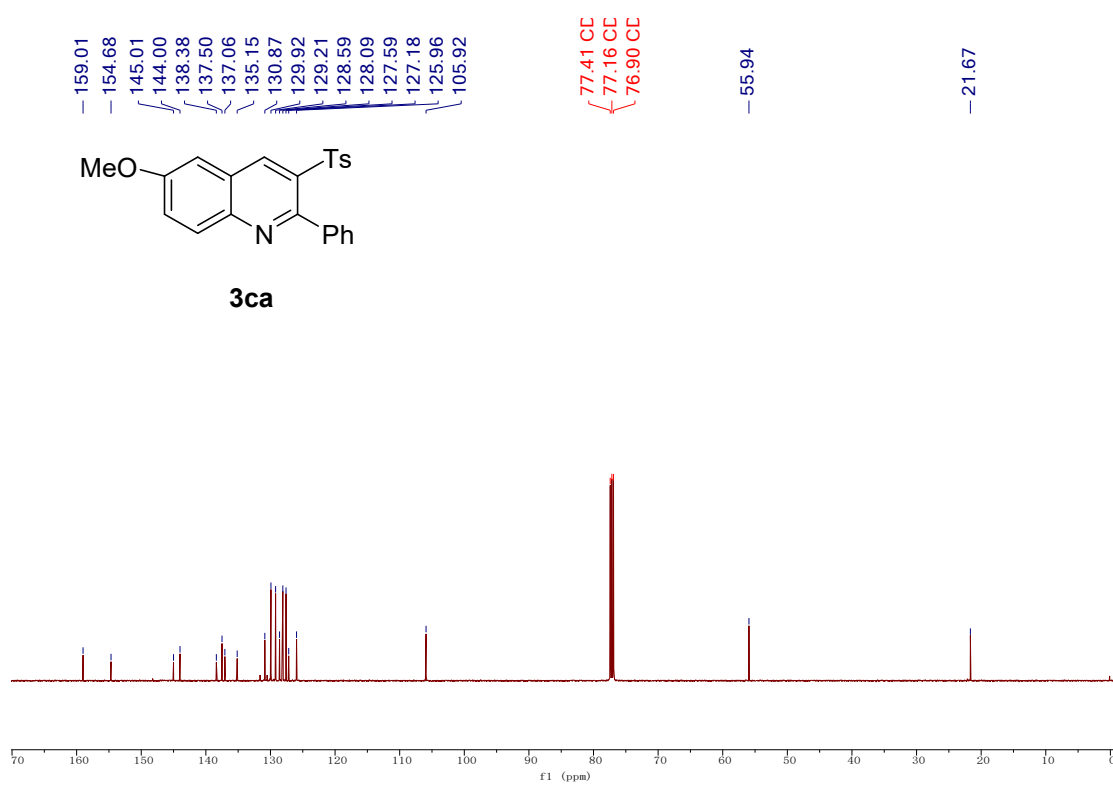
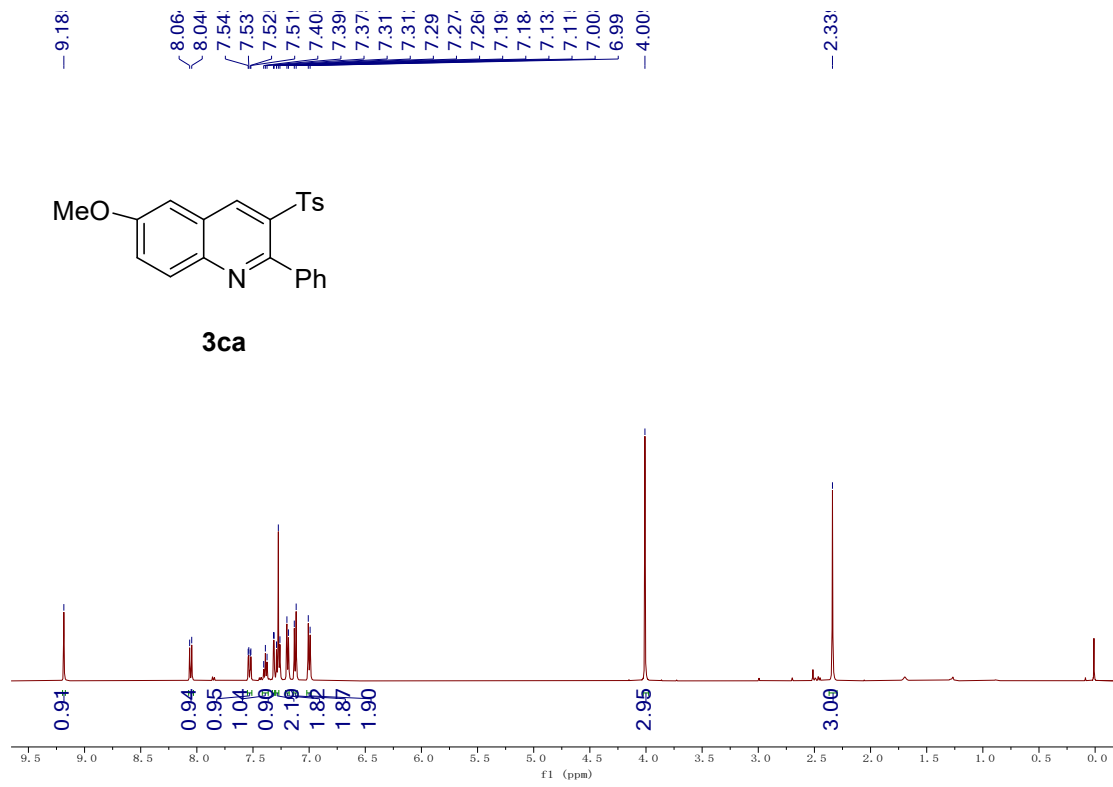
**<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>)**  $\delta$  157.2, 148.9, 145.5, 141.7, 140.4, 139.2, 138.4, 138.4, 138.1, 135.0, 133.1, 129.9, 129.6, 129.2, 129.1, 128.8, 128.7, 128.3, 127.9, 127.9, 127.8, 127.7, 127.2, 127.1, 126.0, 77.4, 77.2, 76.9.

**HRMS (ESI-TOF, [M + H]<sup>+</sup>):** For C<sub>33</sub>H<sub>24</sub>NO<sub>2</sub>S, 498.1528, Found:498.1525.

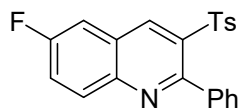




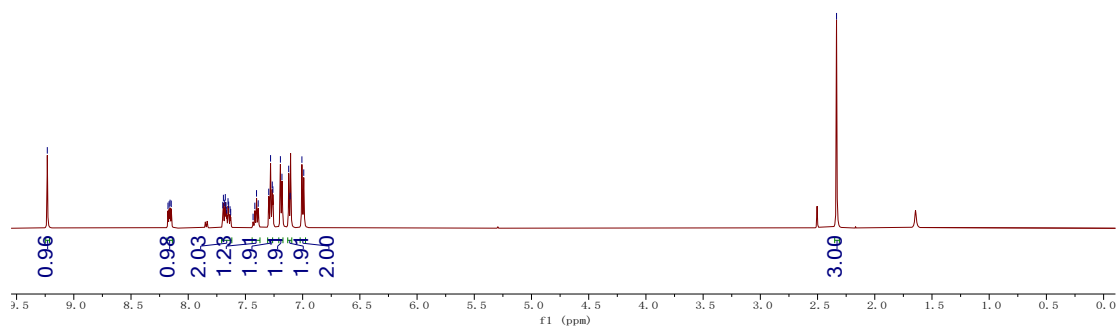




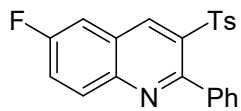
9.23; 8.17, 8.16, 8.15, 8.14, 7.69, 7.68, 7.67, 7.66, 7.65, 7.64, 7.63, 7.62, 7.43, 7.41, 7.40, 7.38, 7.29, 7.28, 7.26, 7.26, 7.19, 7.18, 7.12, 7.11, 7.00, 6.99; 2.33;



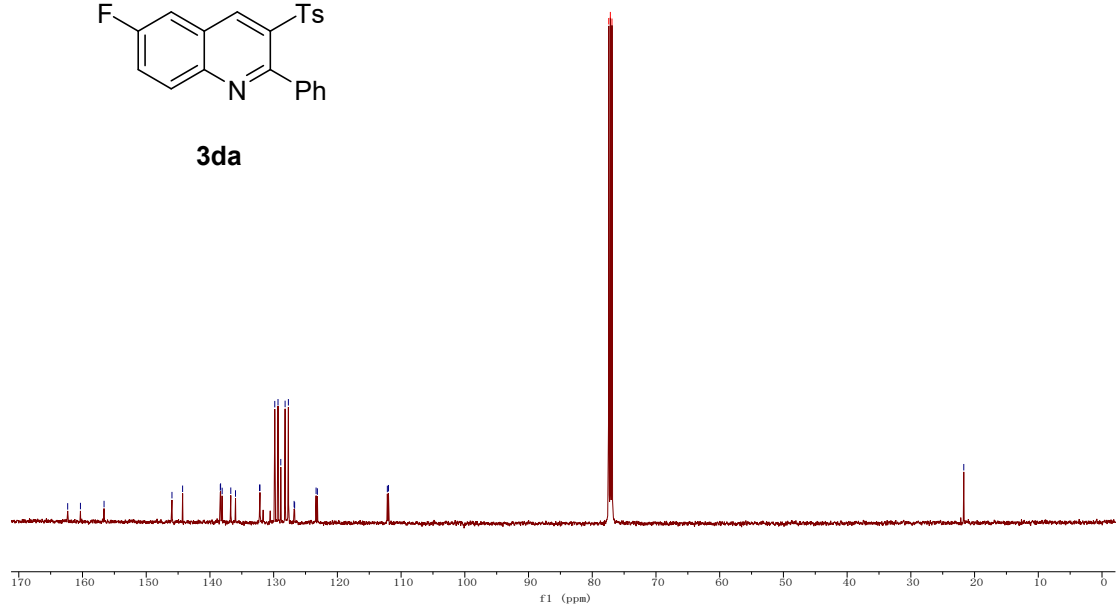
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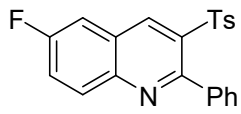


162.33, 160.32, 156.62, 145.96, 144.29, 138.37, 138.33, 138.08, 136.73, 135.99, 132.22, 132.15, 129.83, 129.32, 128.88, 128.20, 127.69, 126.79, 126.71, 123.34, 123.14, 112.16, 111.98, 77.42 CI, 77.16 CI, 76.91 CI; 21.69

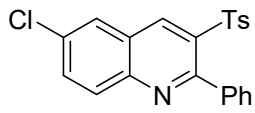
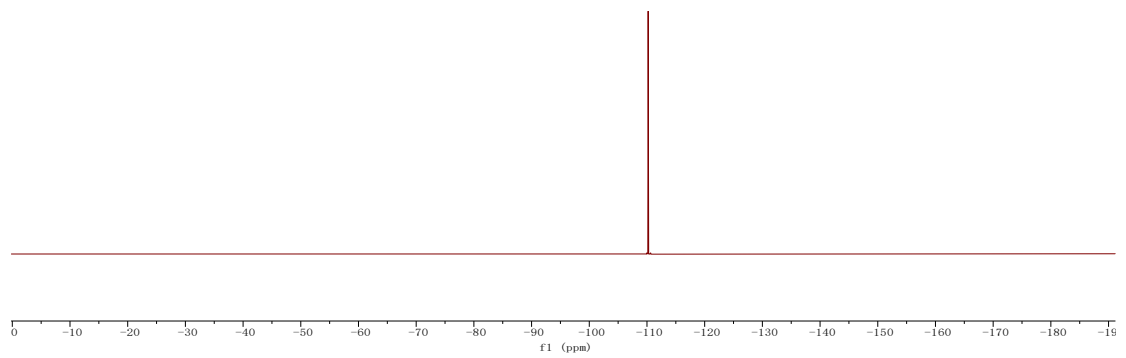


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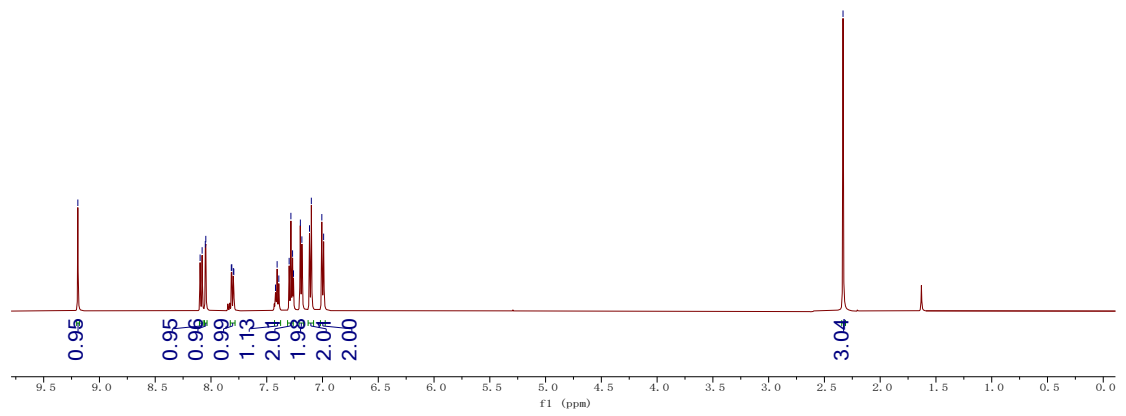


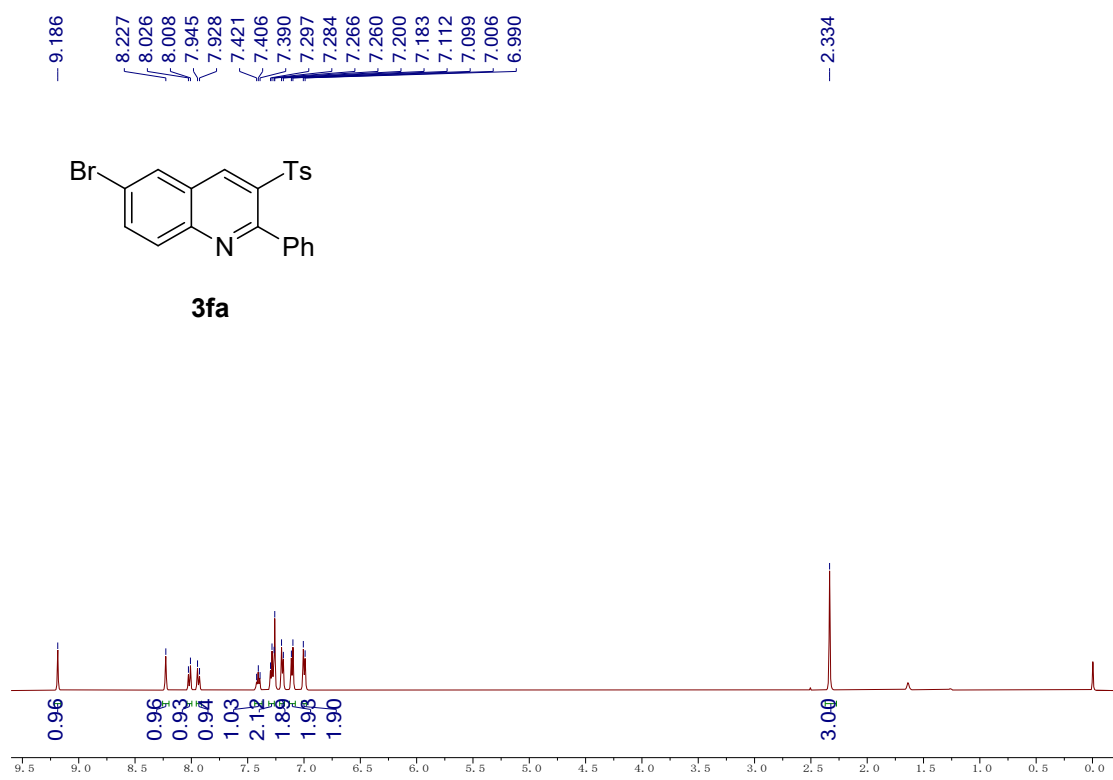
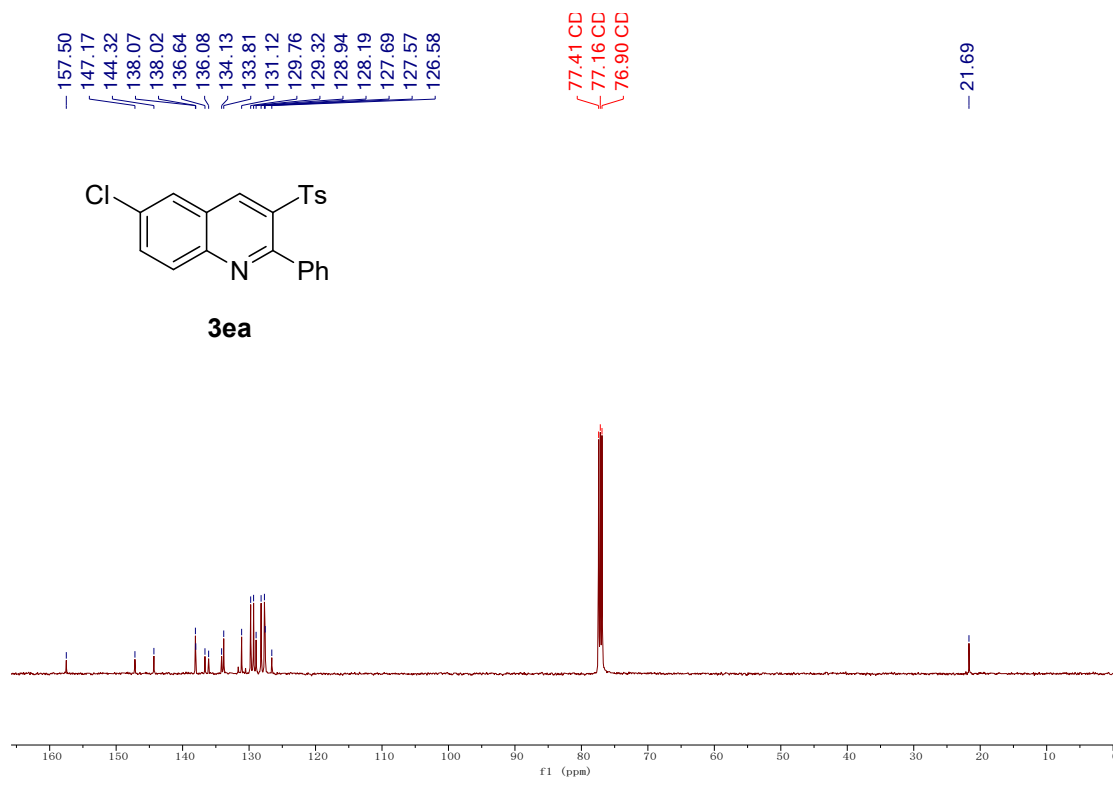


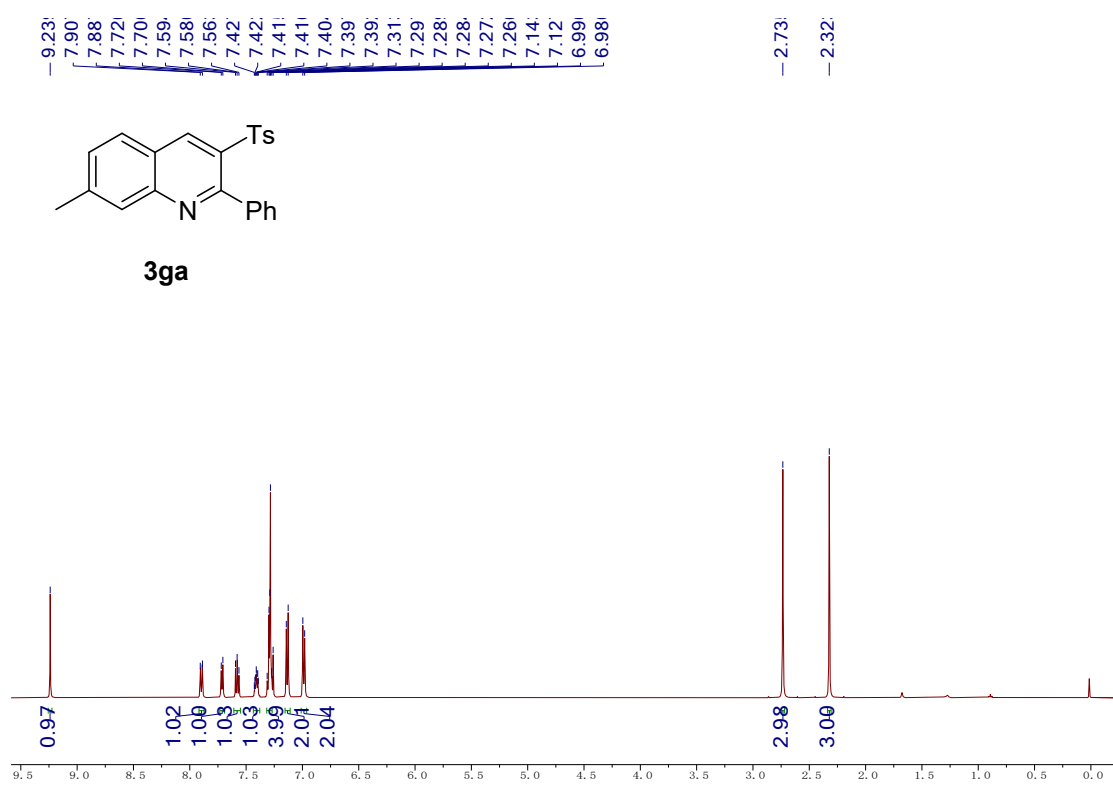
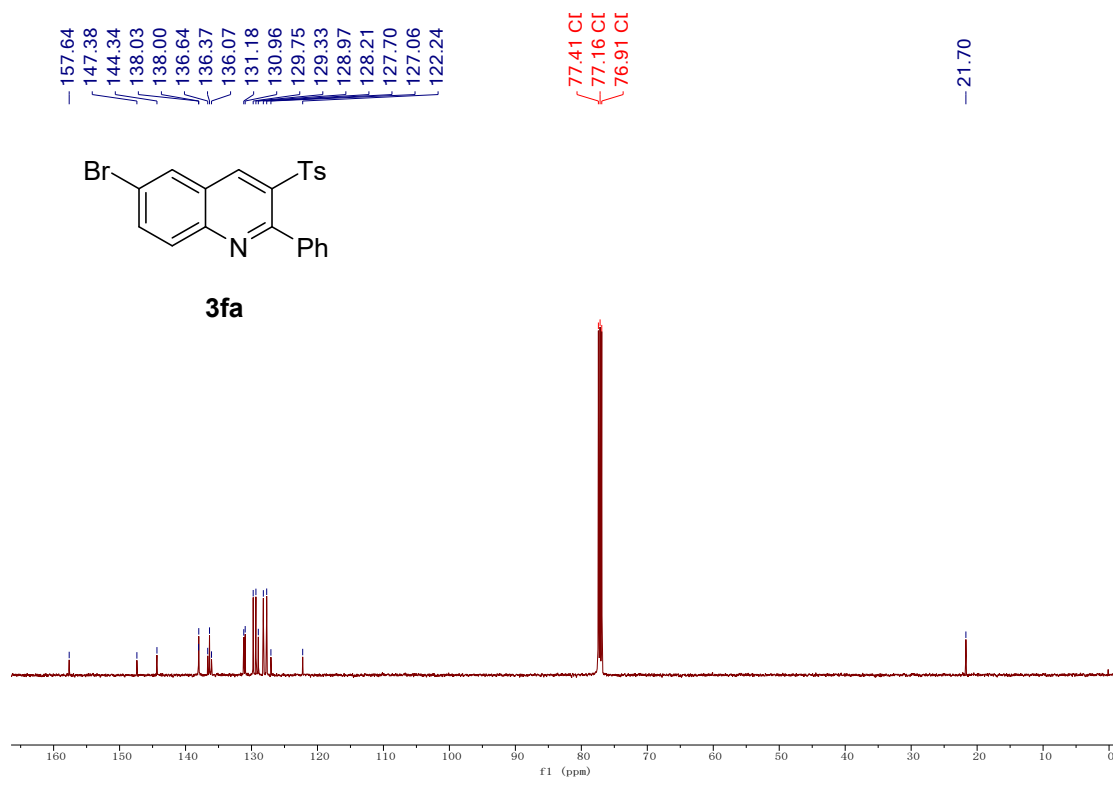
**3da**



**3ea**



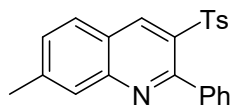




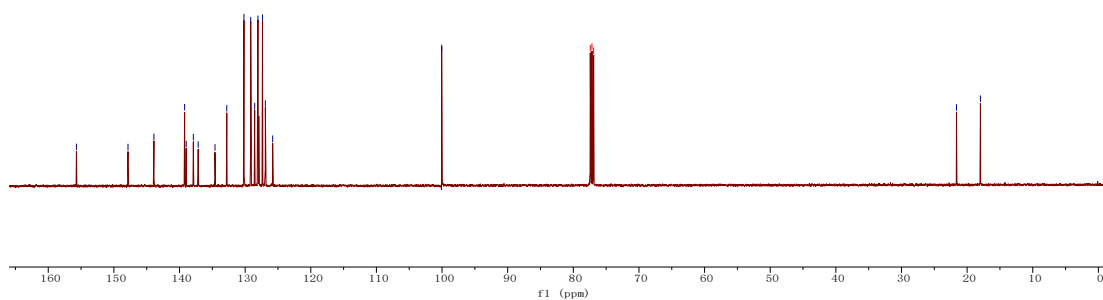
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 128.55  
 128.05  
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 125.81  
 100.06

77.41 Cl  
 77.16 Cl  
 76.91 Cl

21.62  
 17.97

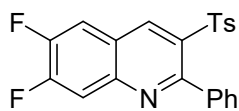


**3ga**

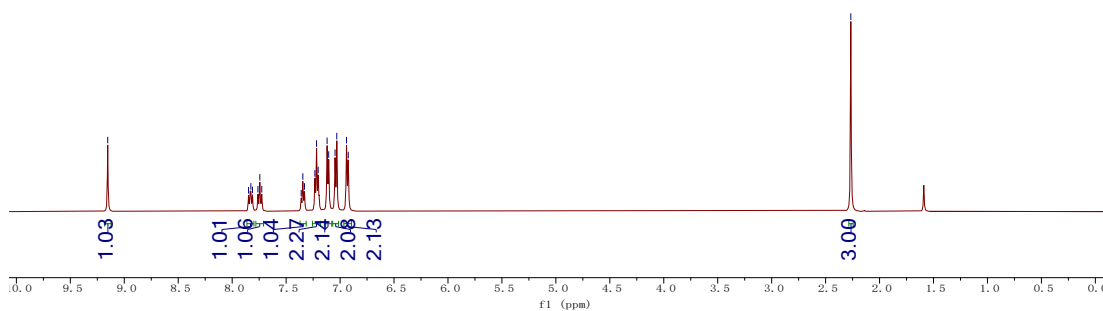


9.15  
 7.84  
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 7.72  
 7.36  
 7.34  
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 7.12  
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 7.04  
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 6.92

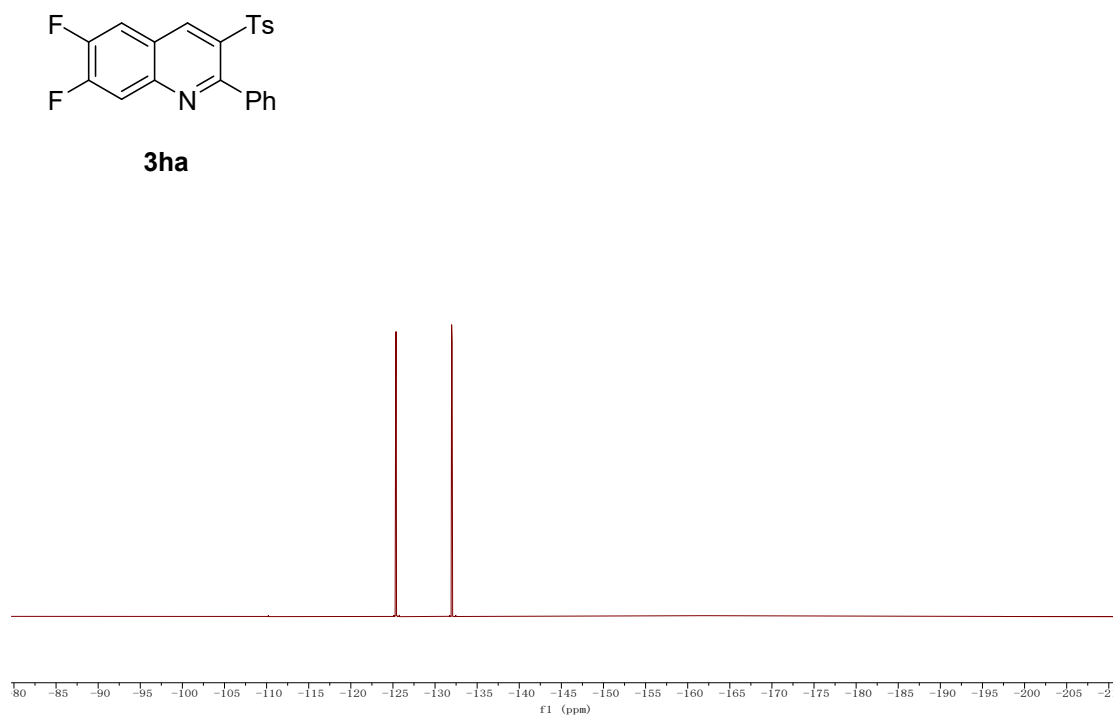
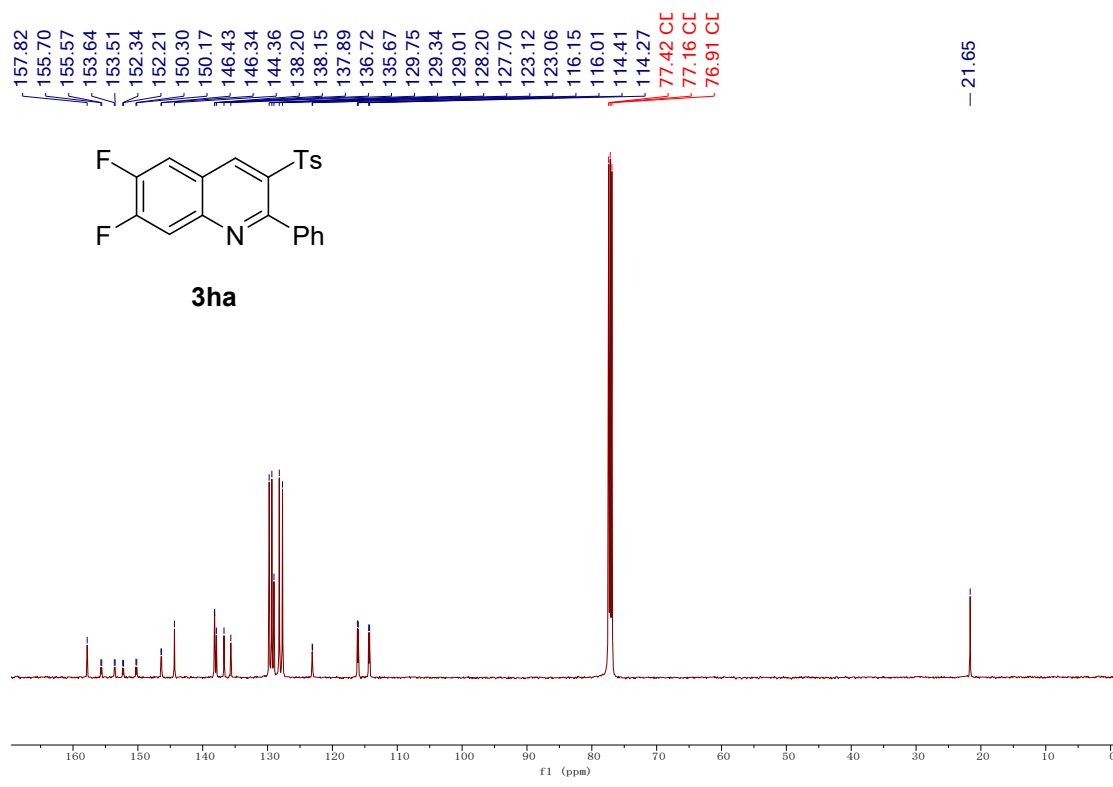
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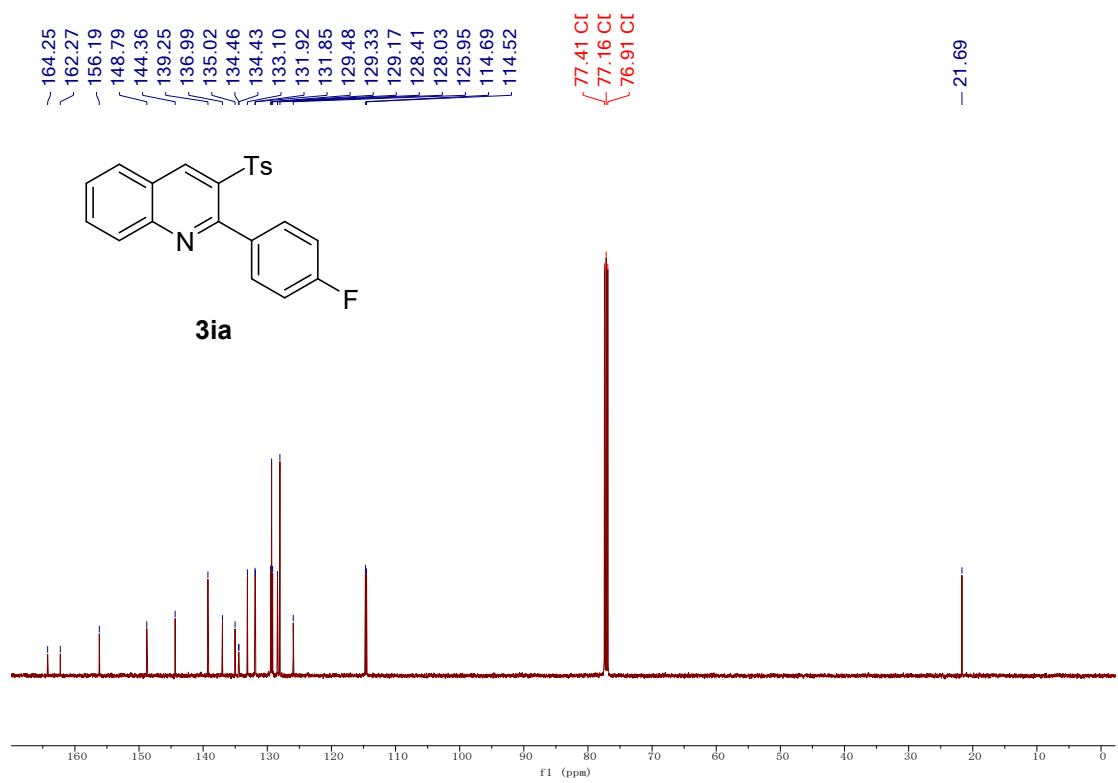
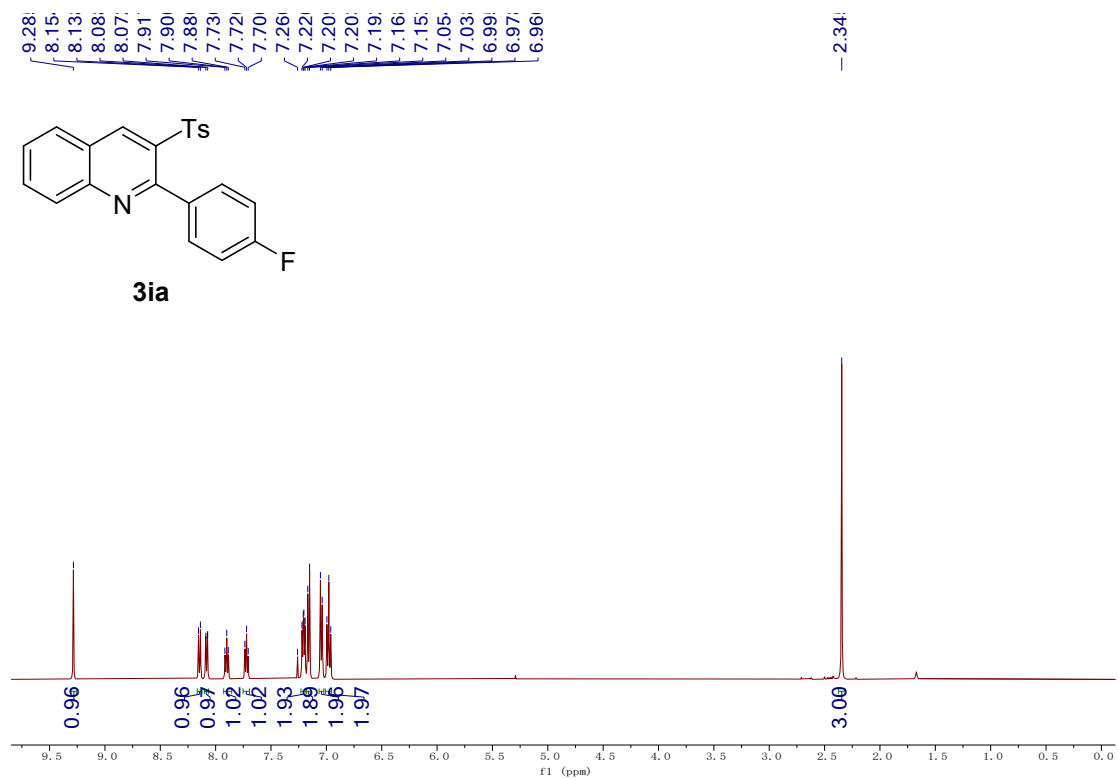


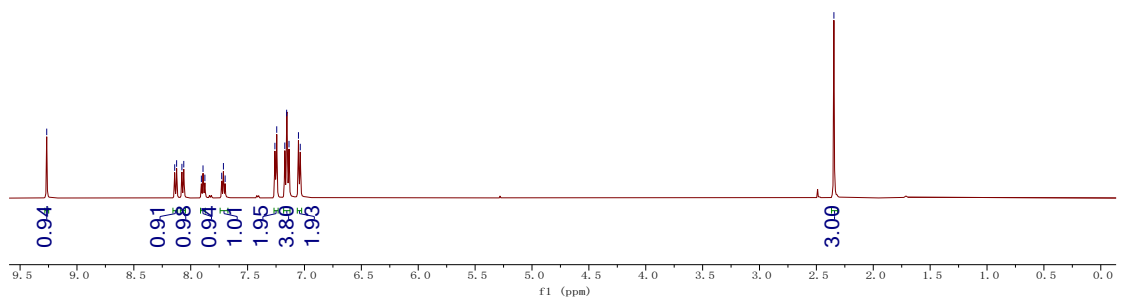
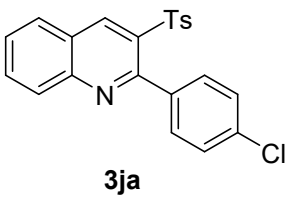
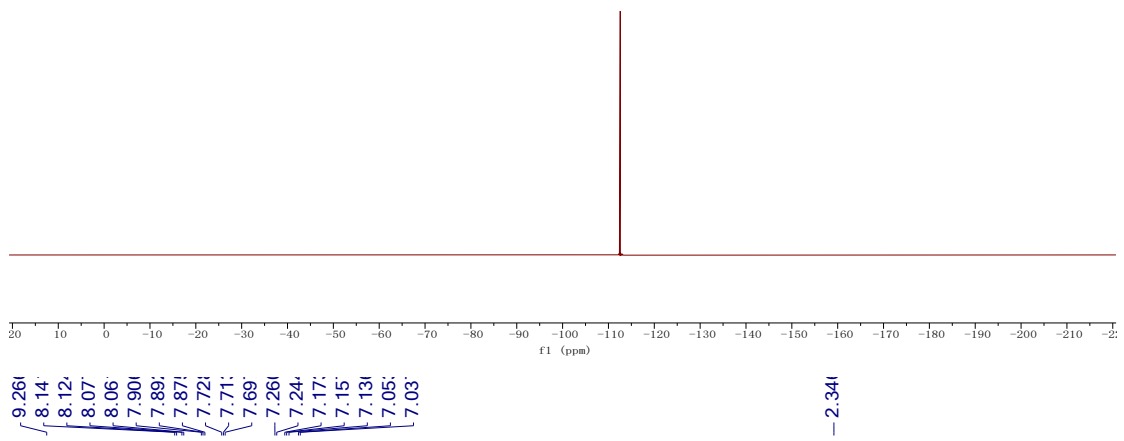
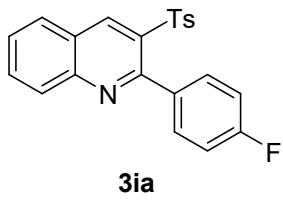
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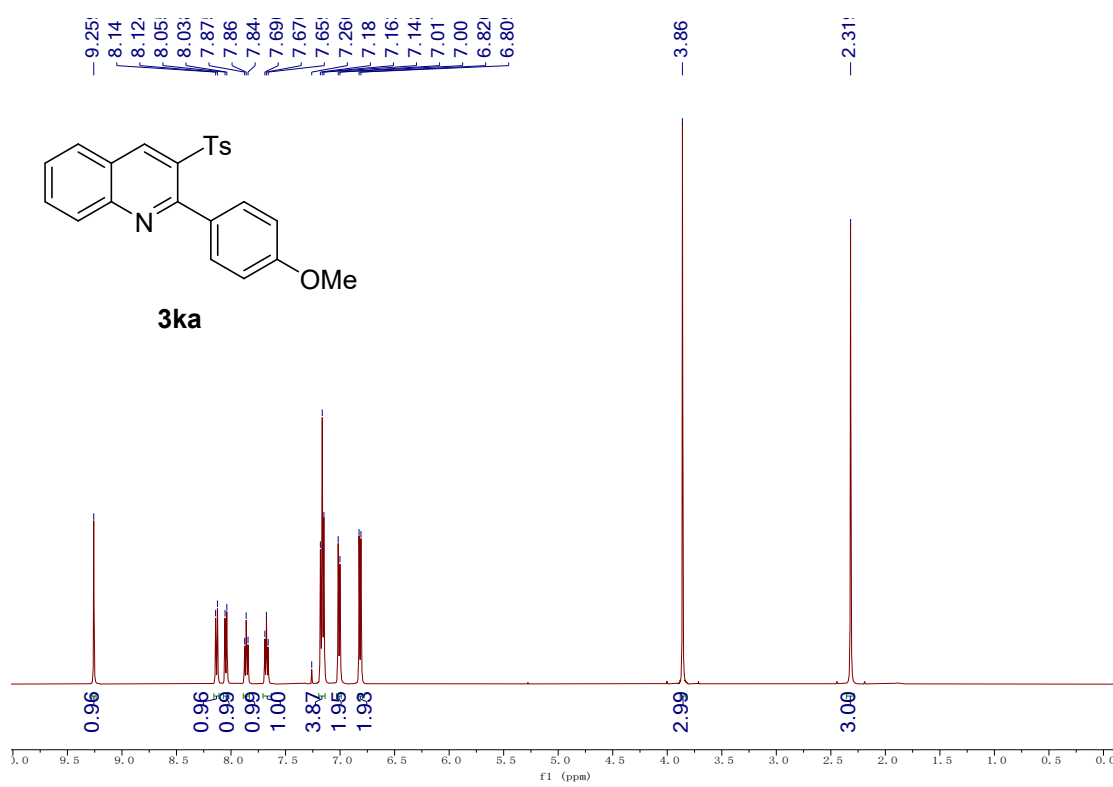
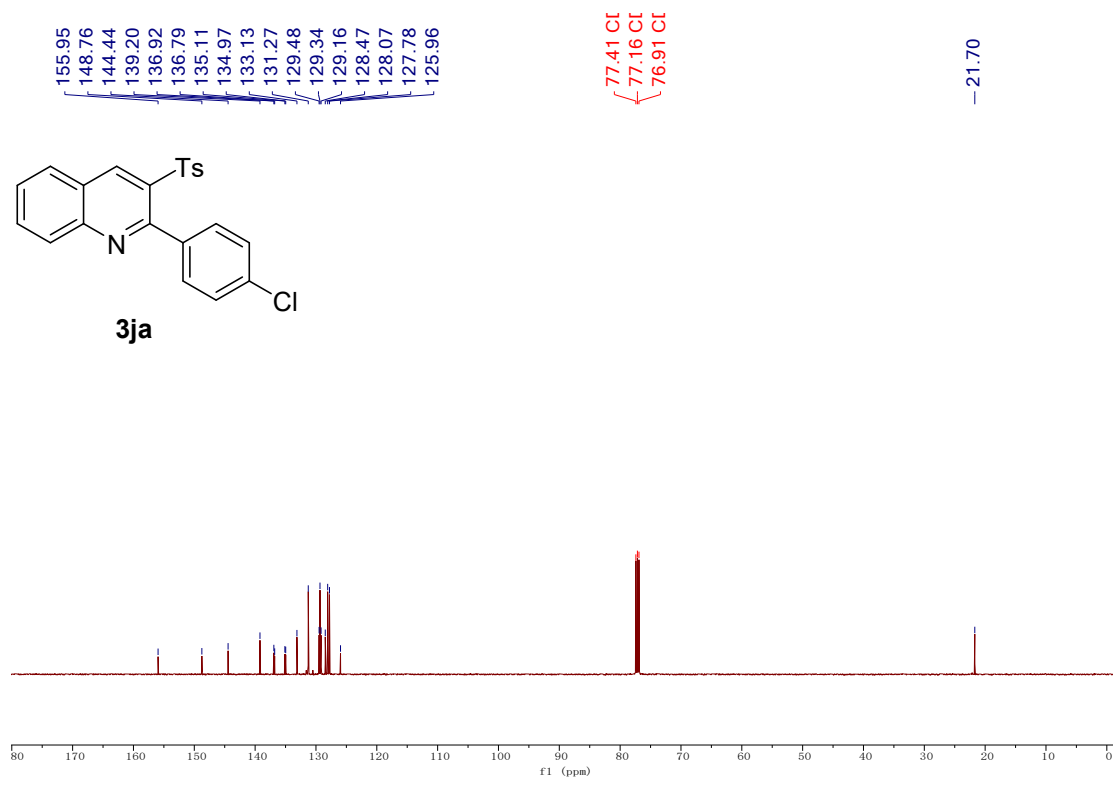


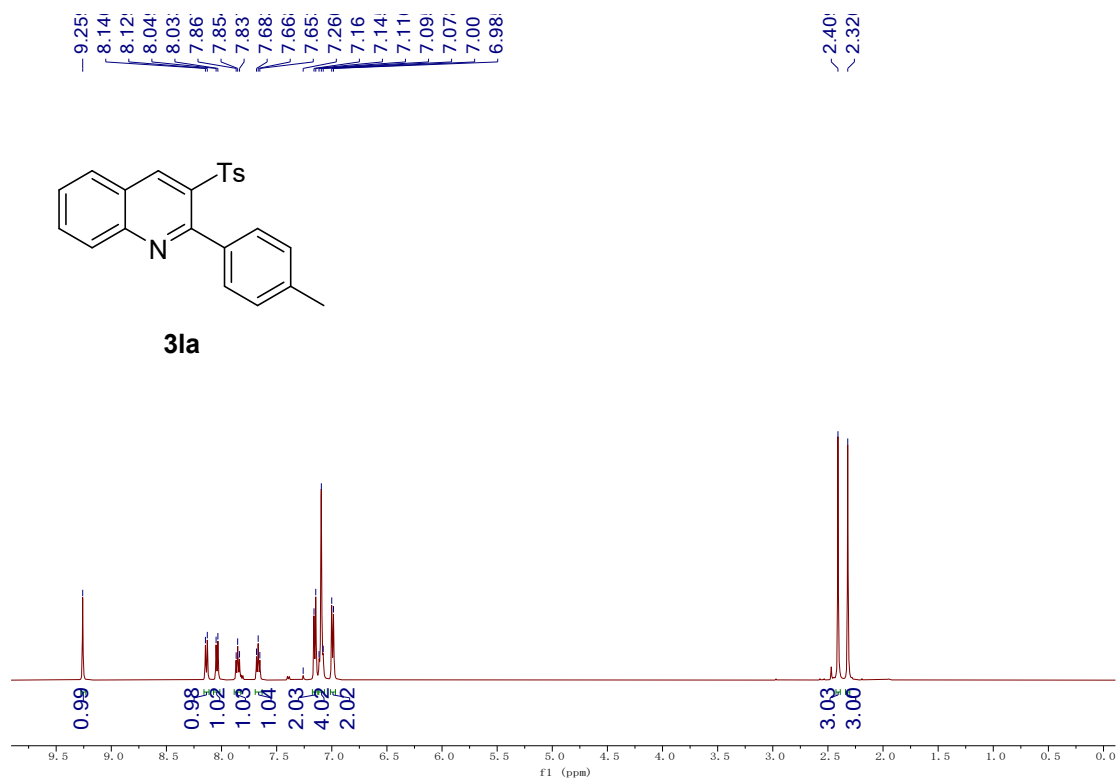
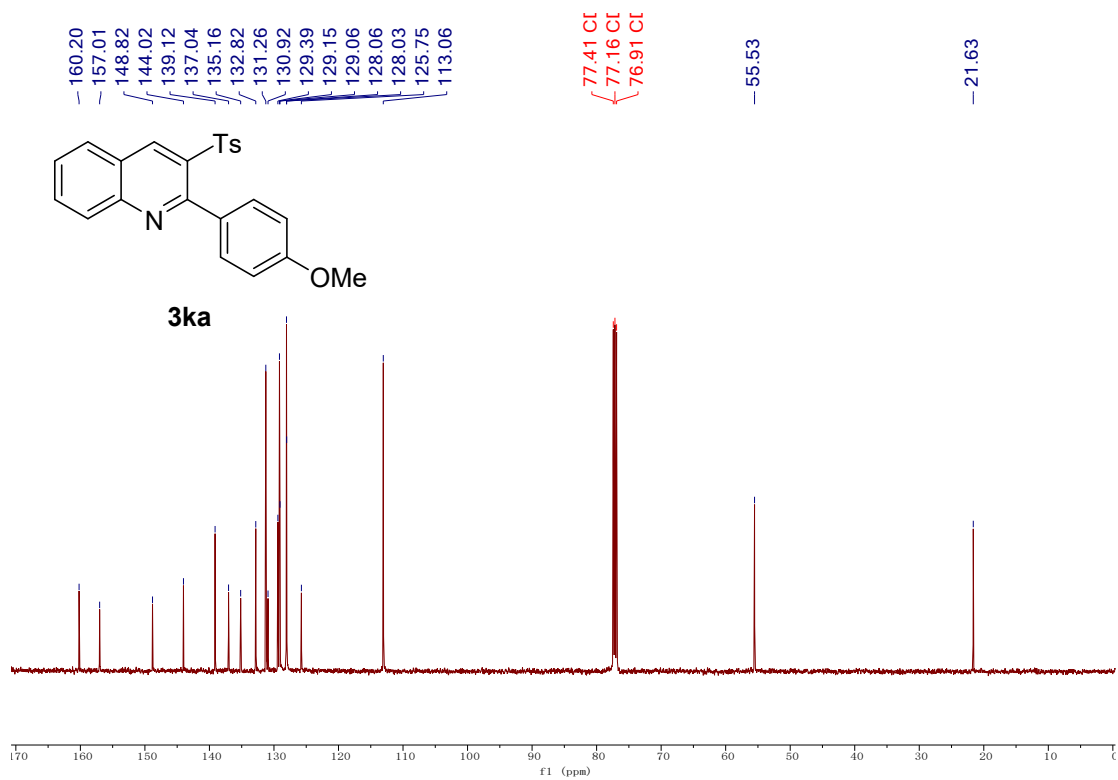








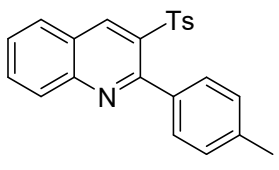




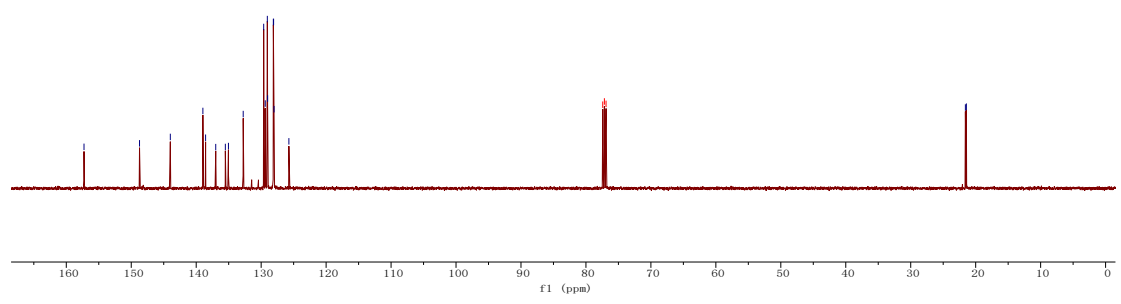
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125.73

77.41 CD  
77.16 CD  
76.90 CD

21.59  
21.43

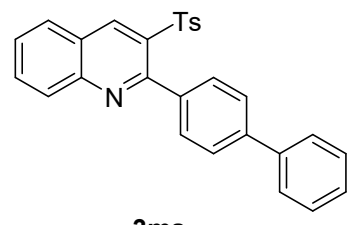


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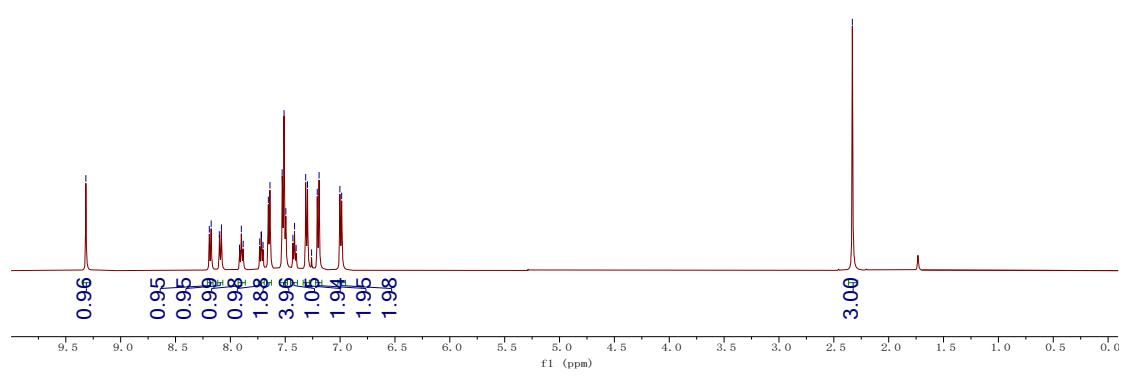


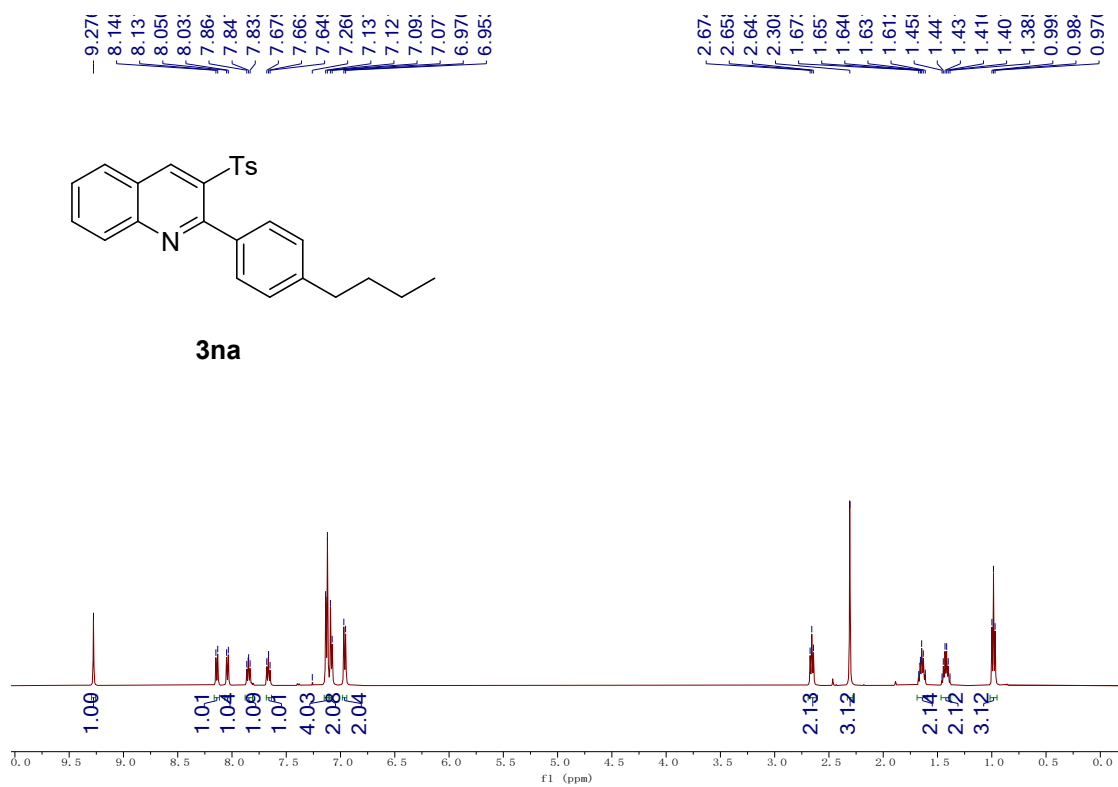
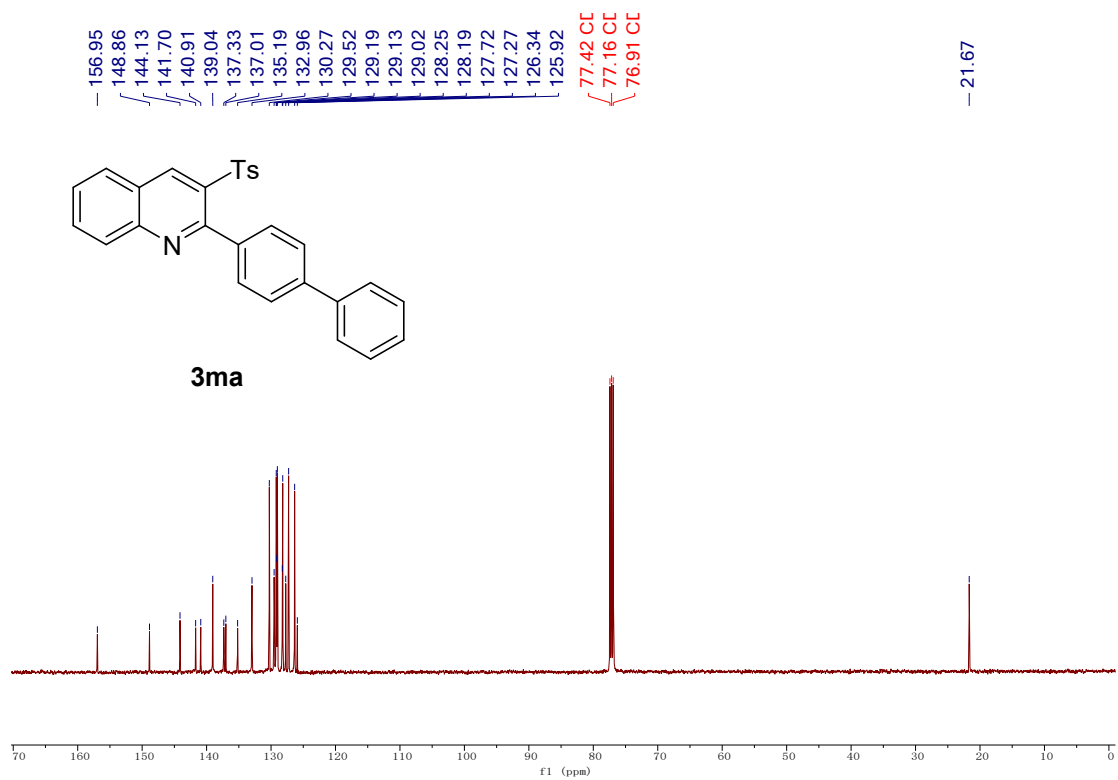
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7.51;  
7.49;  
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6.98

-2.33



3ma





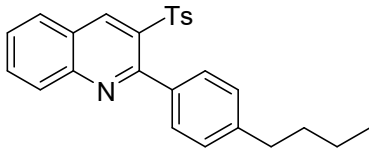
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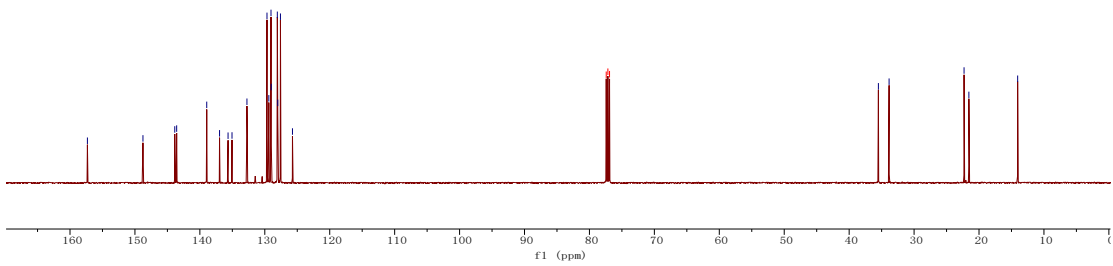
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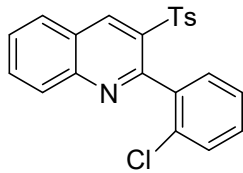


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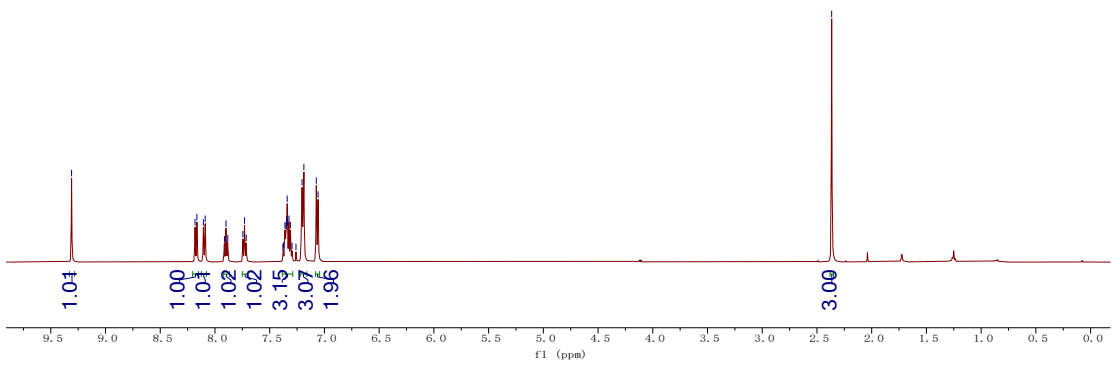


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7.37  
7.37  
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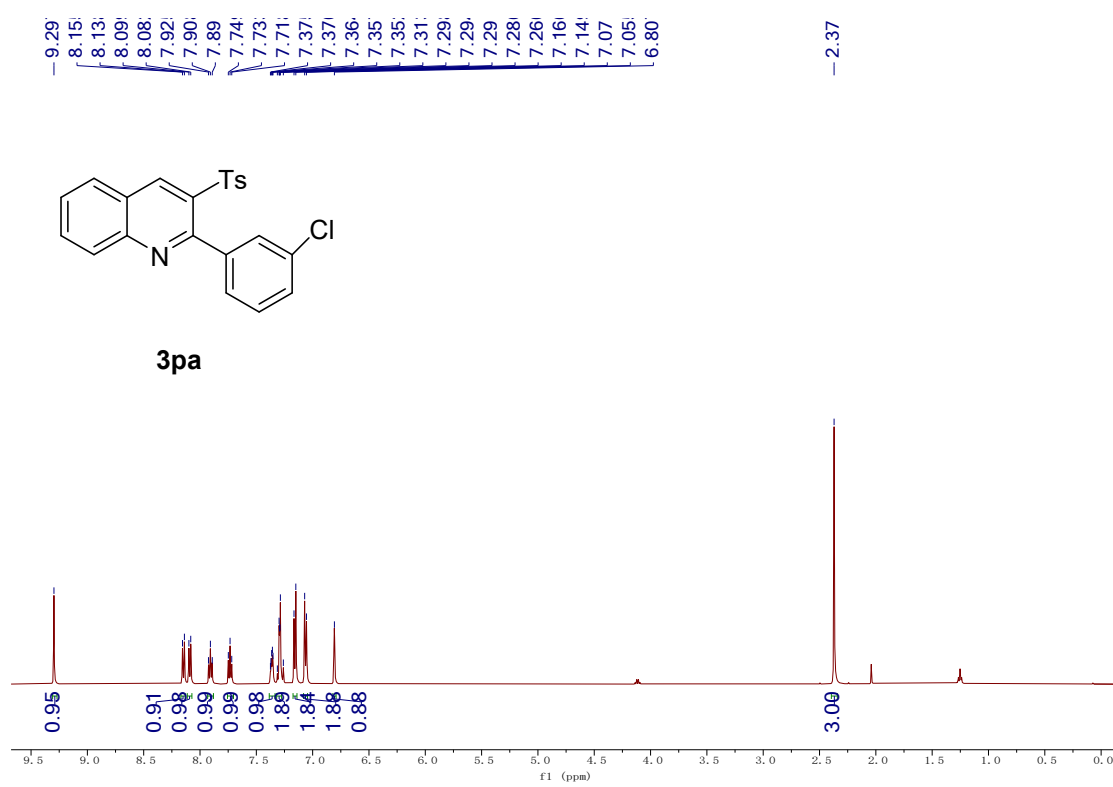
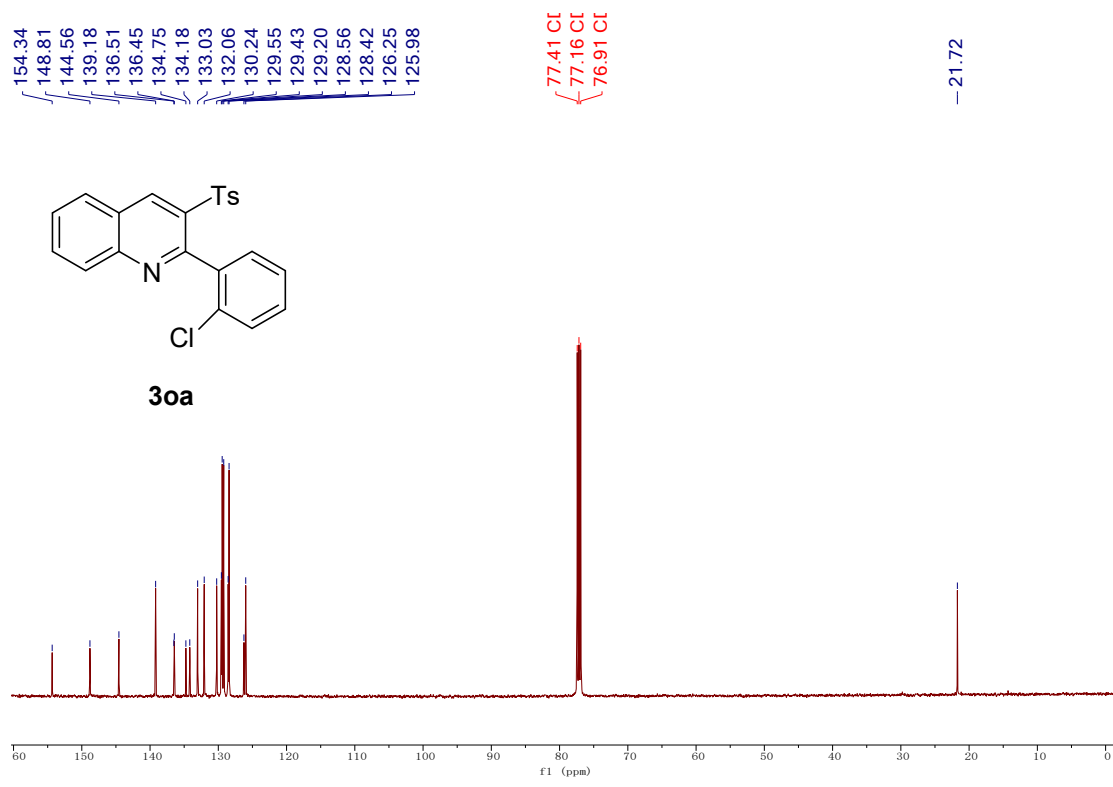
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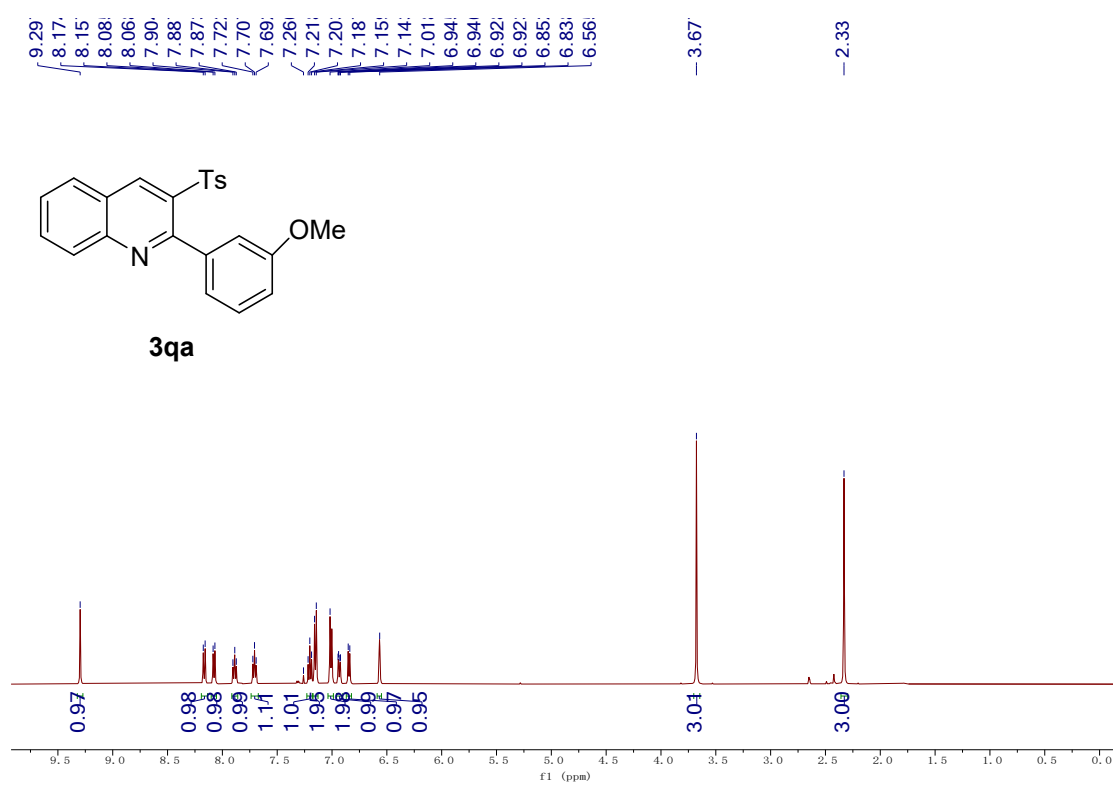
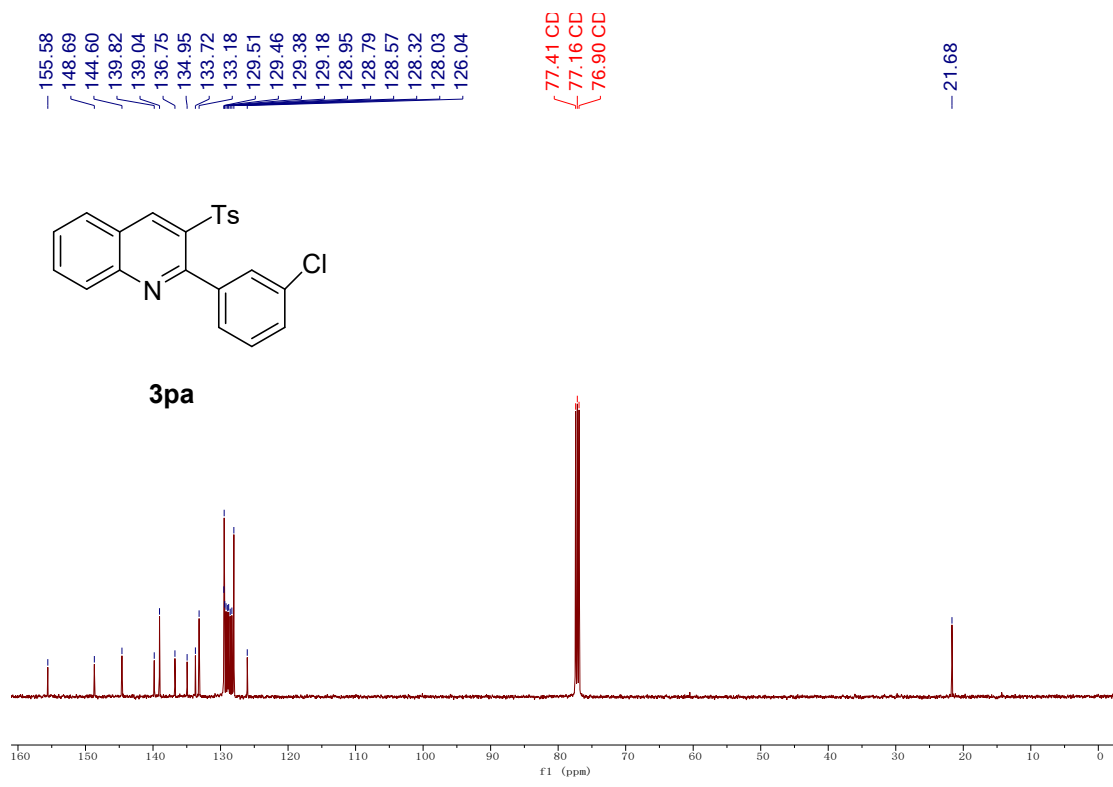


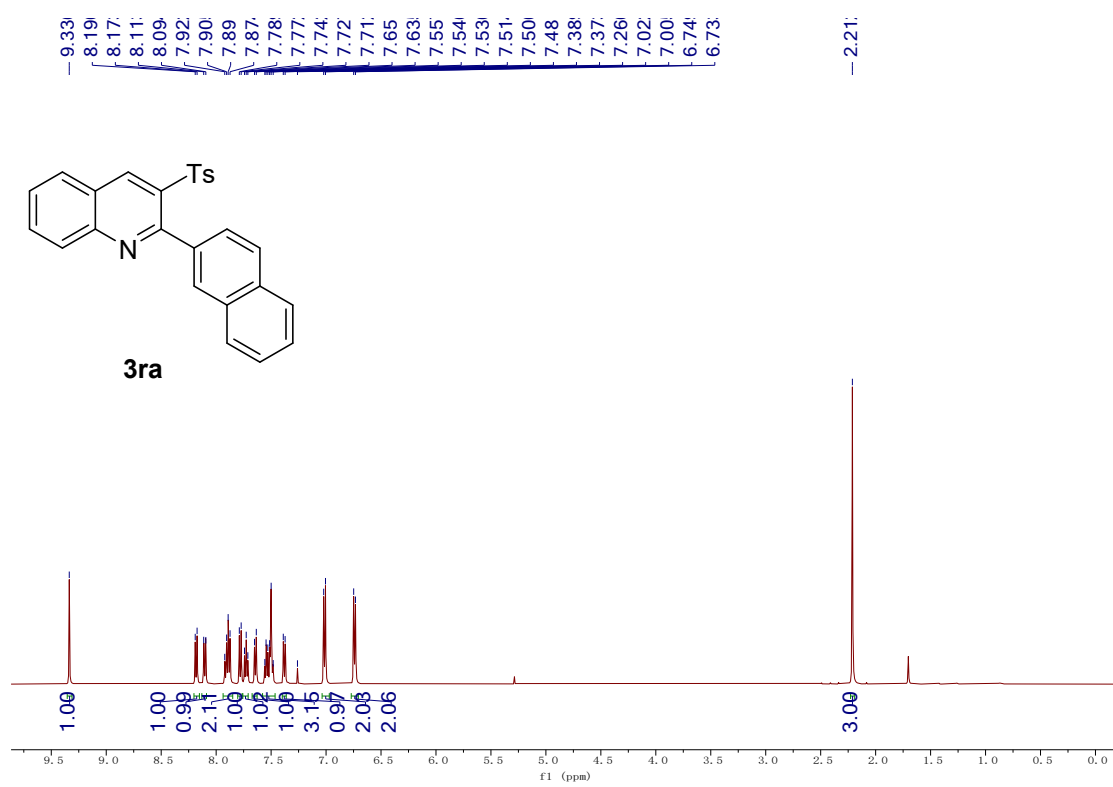
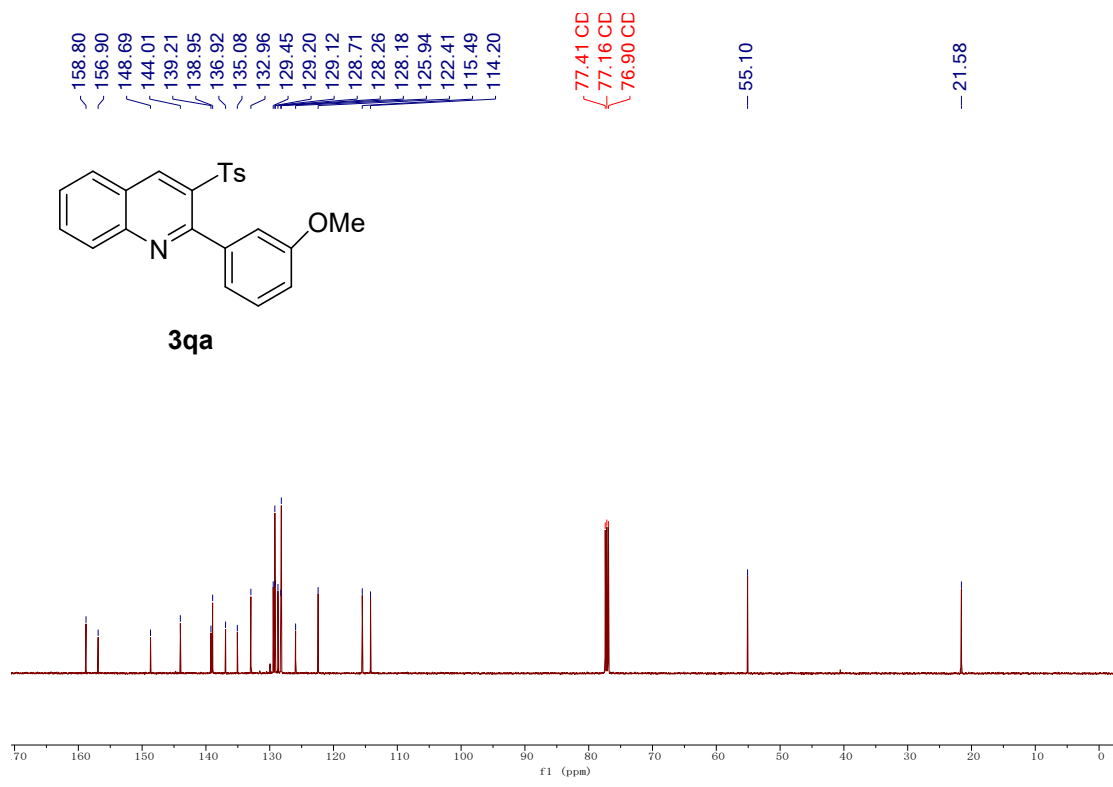
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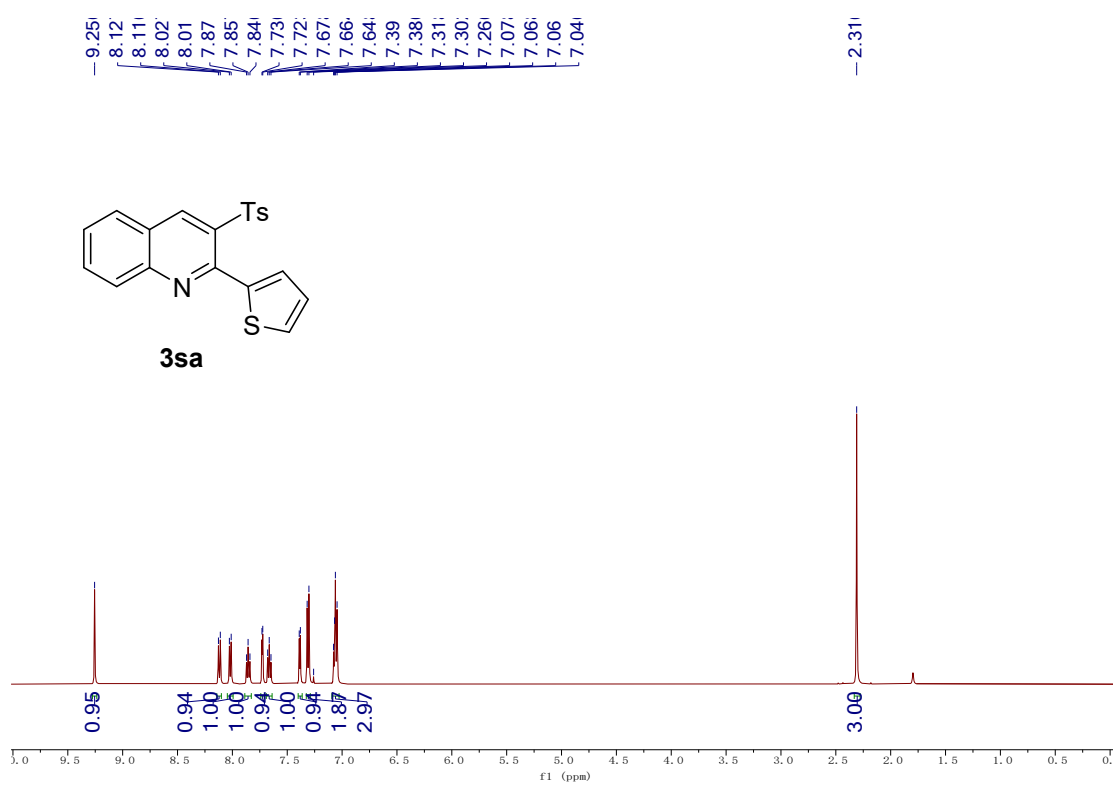
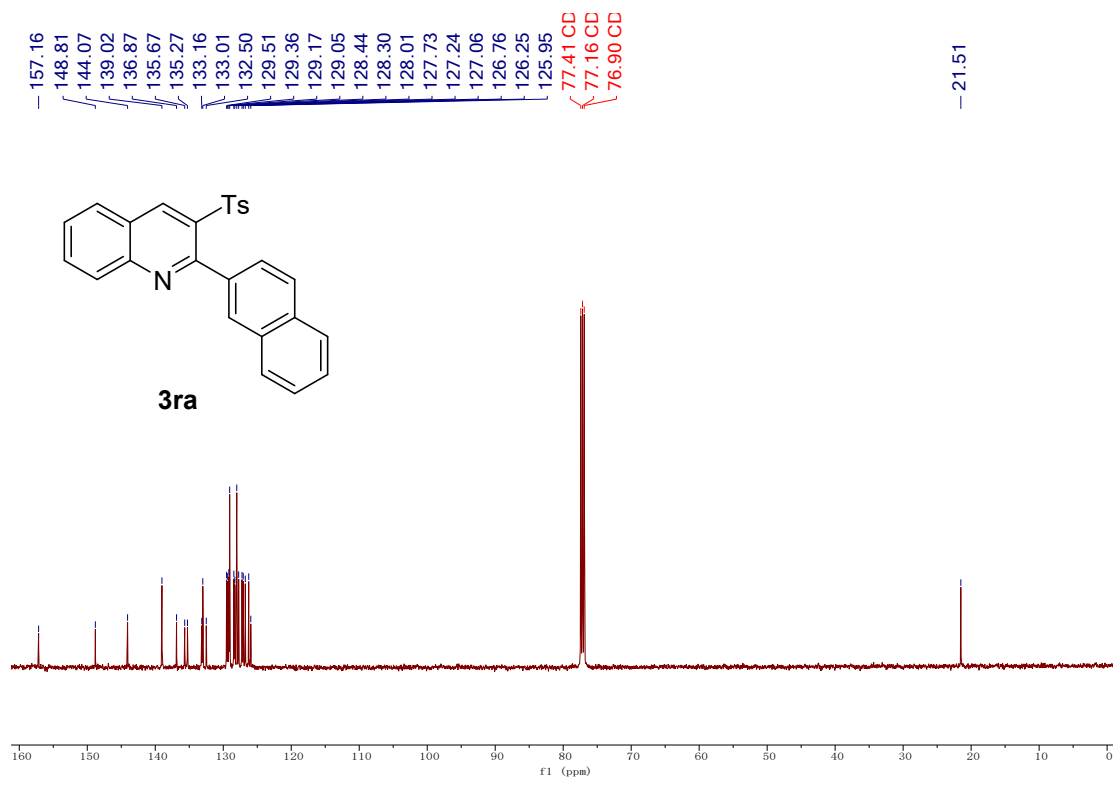


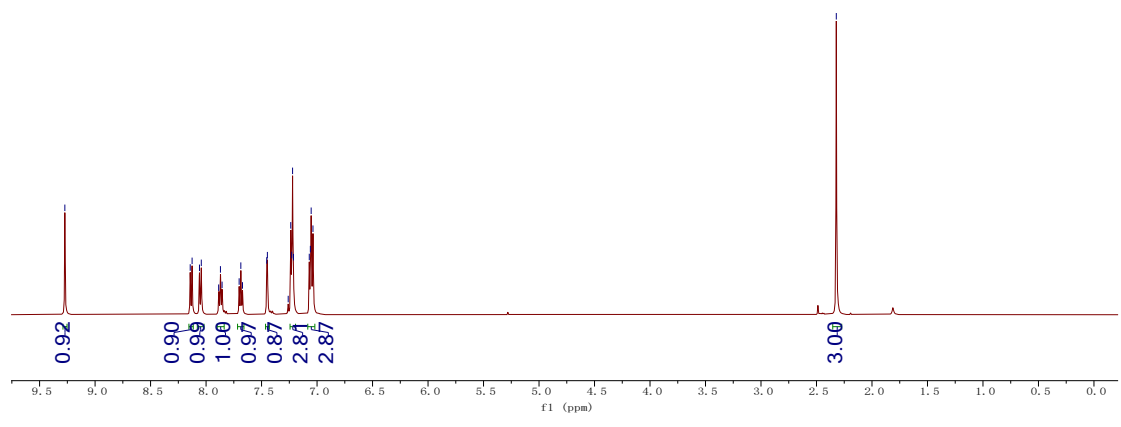
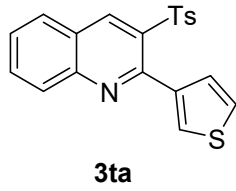
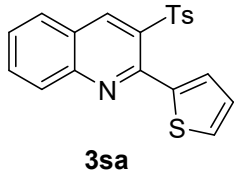
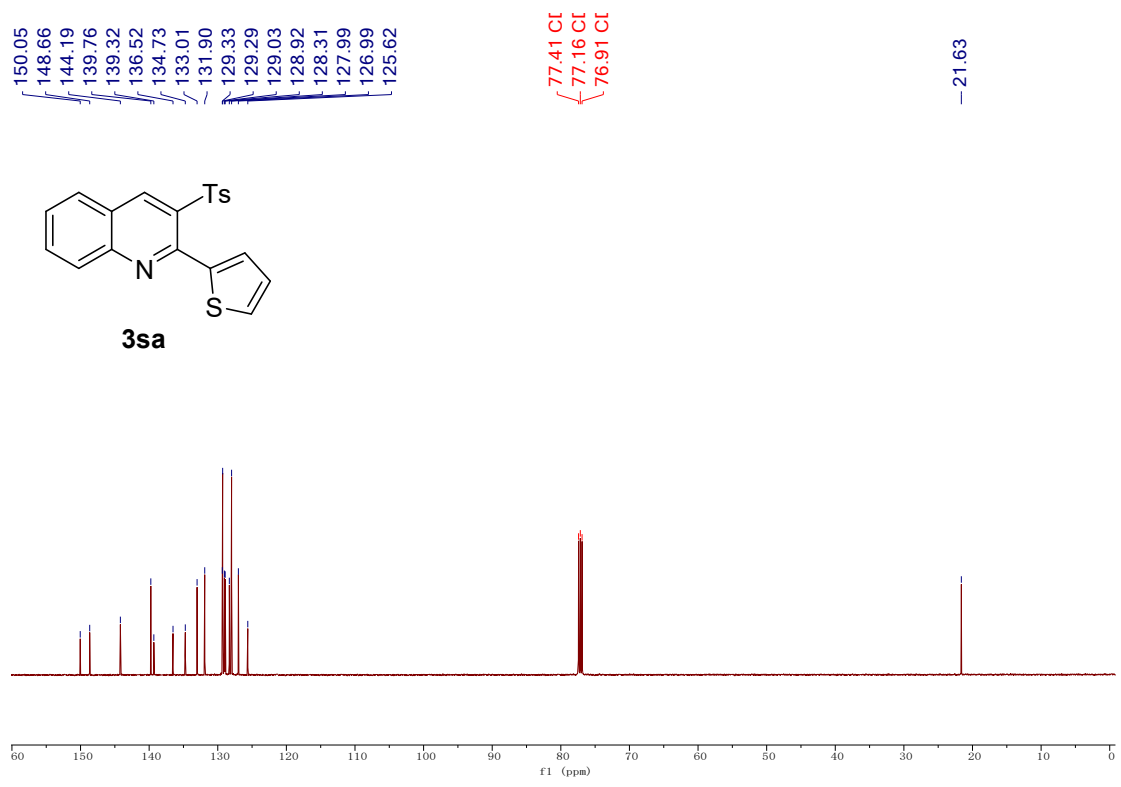


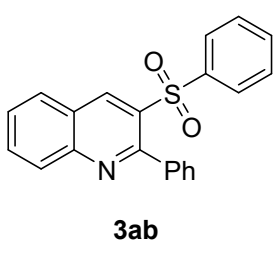
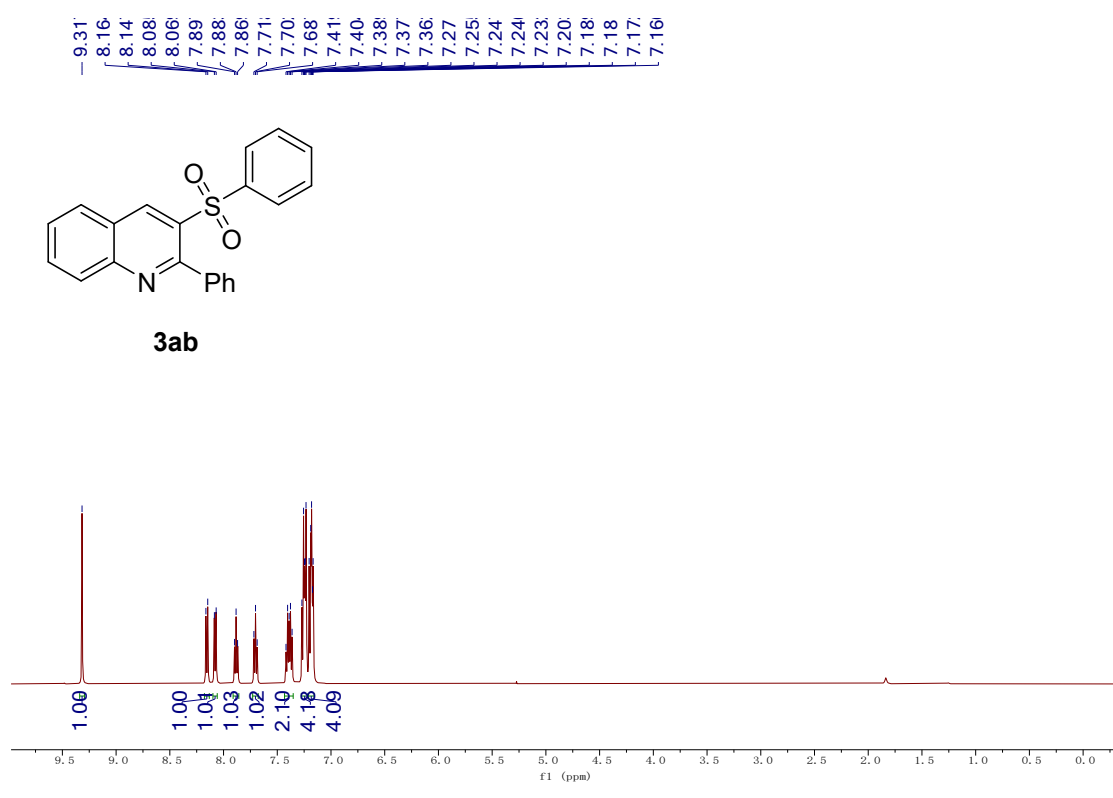
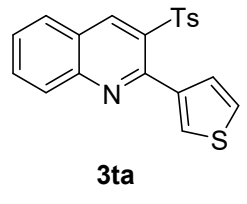
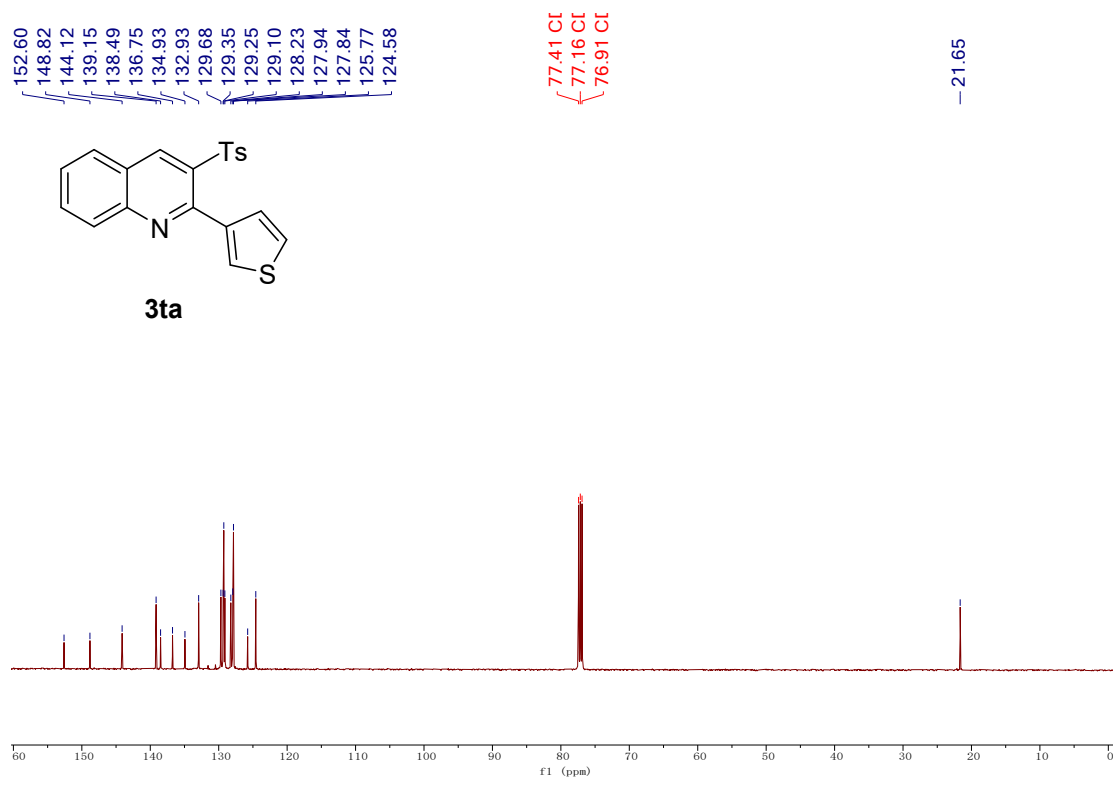


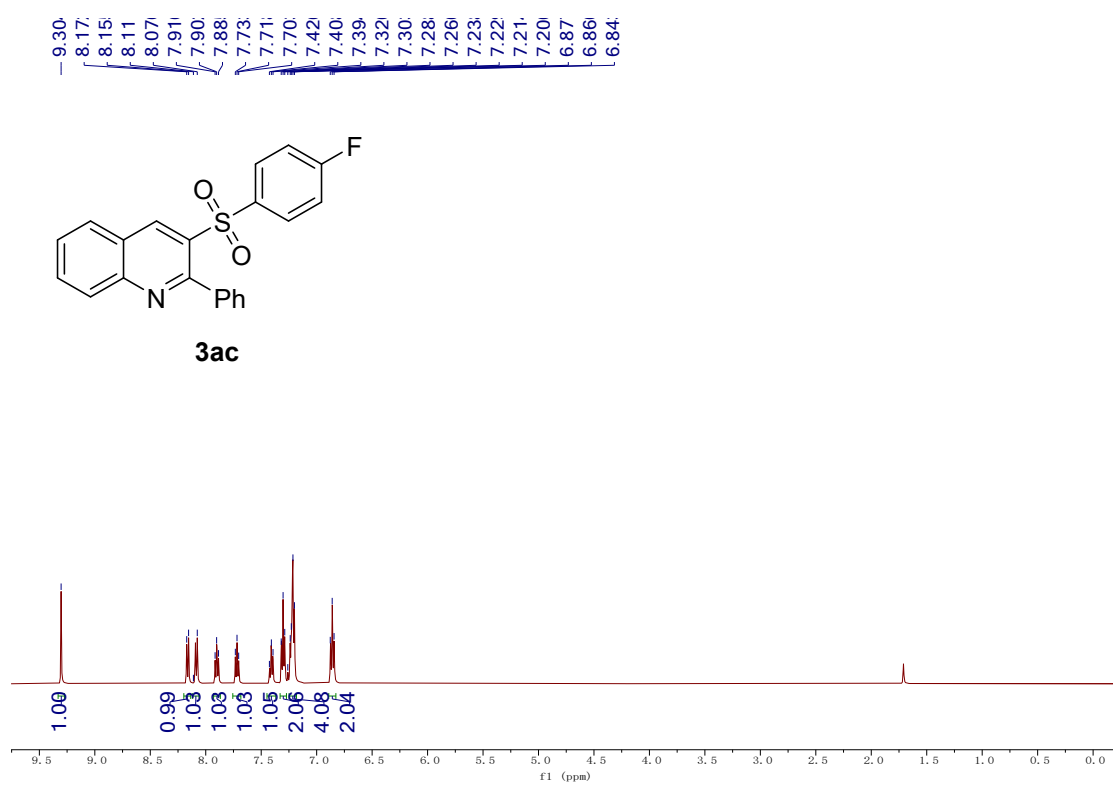
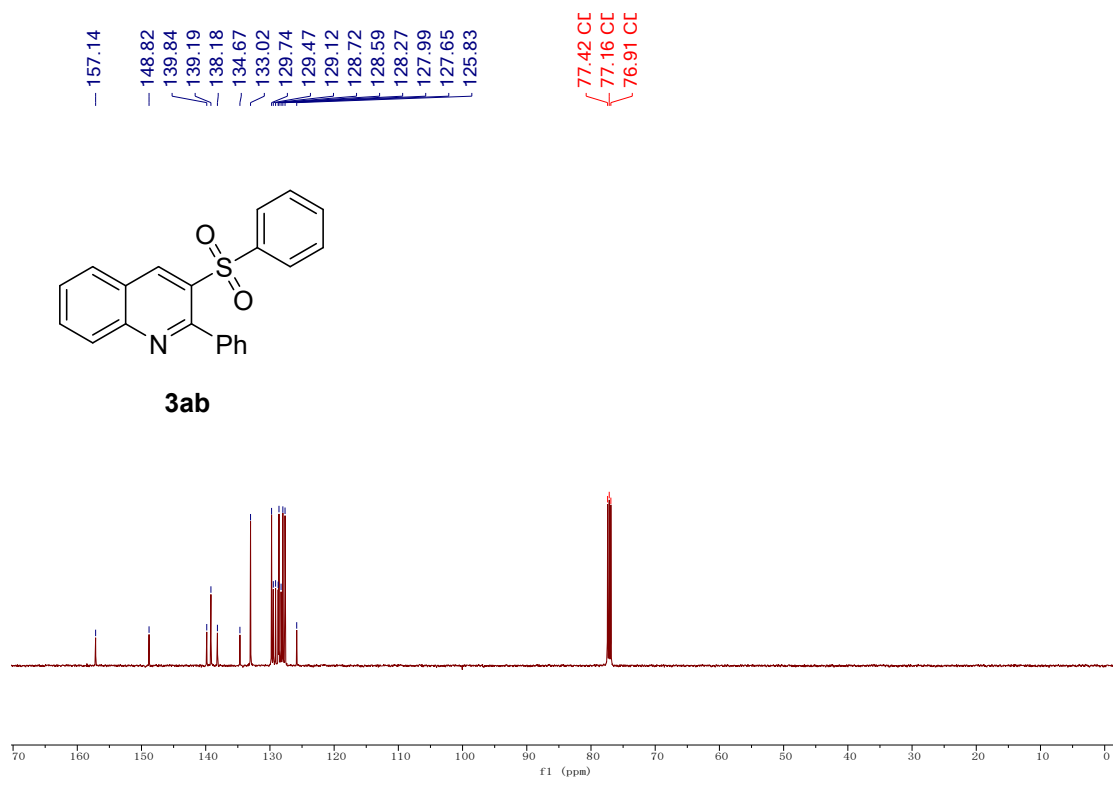


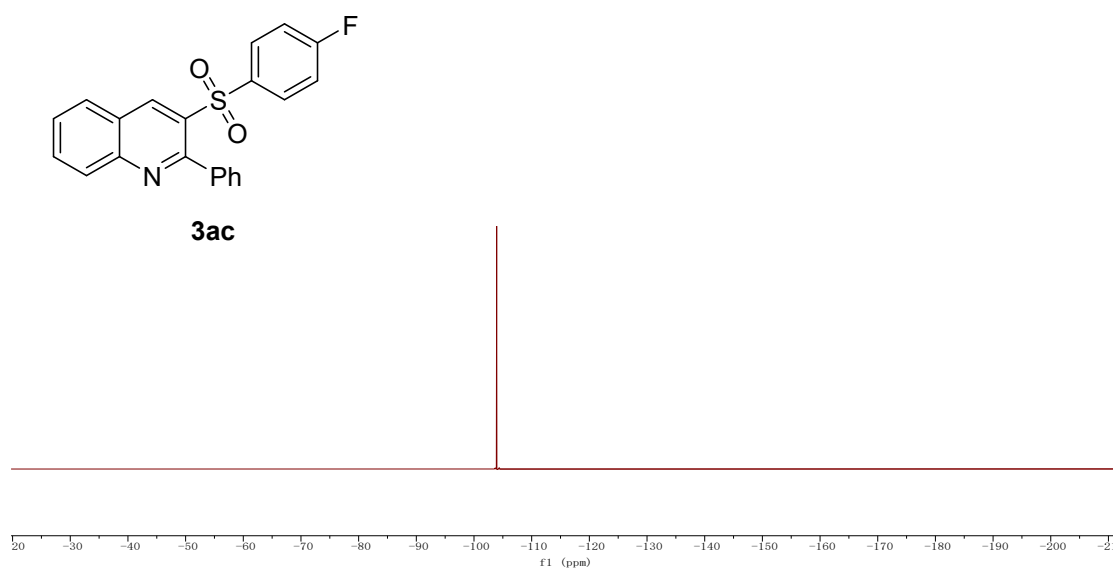
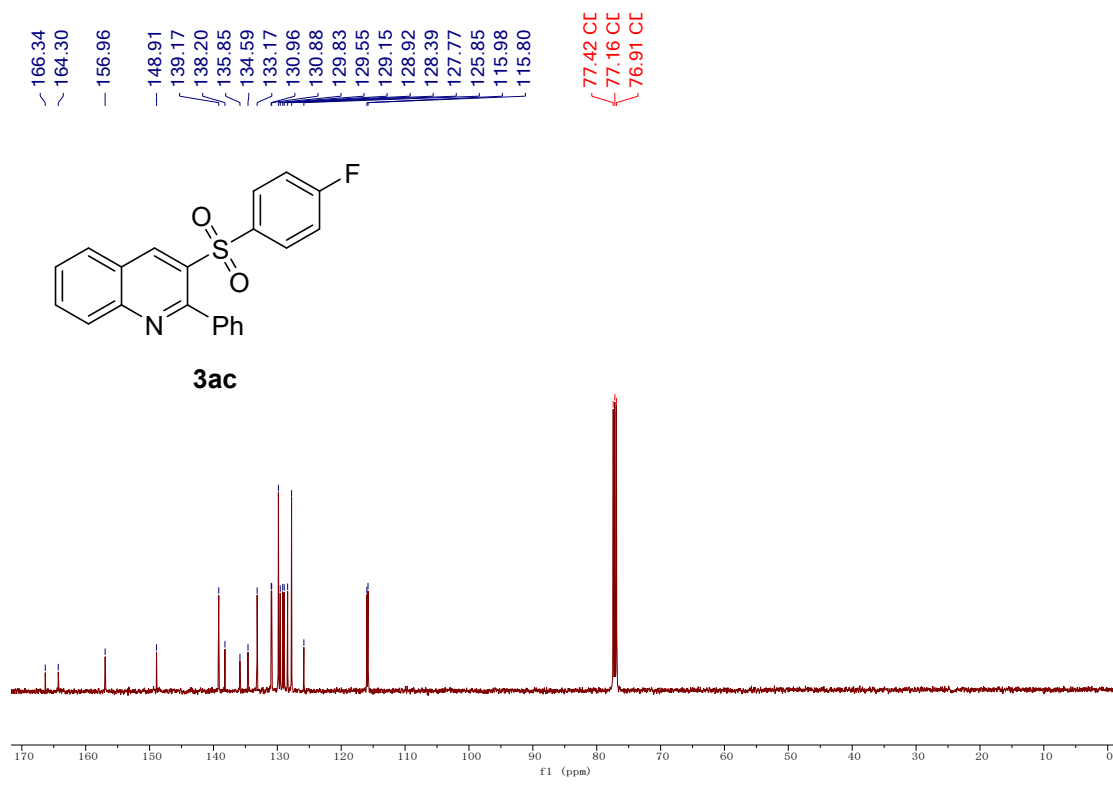






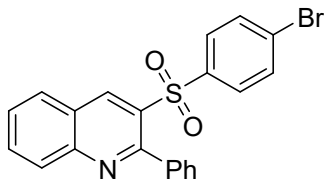




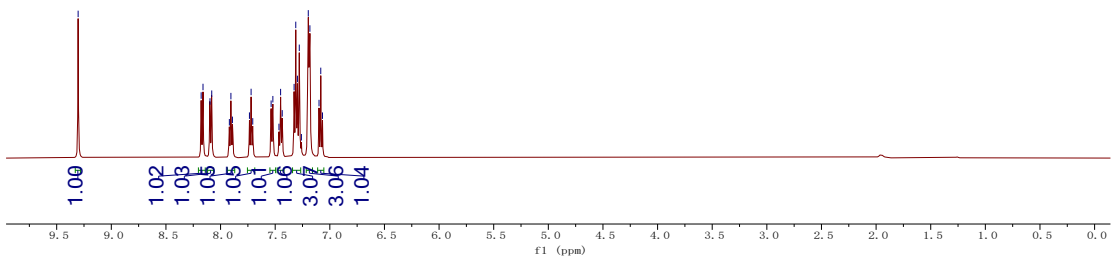




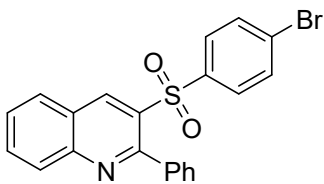
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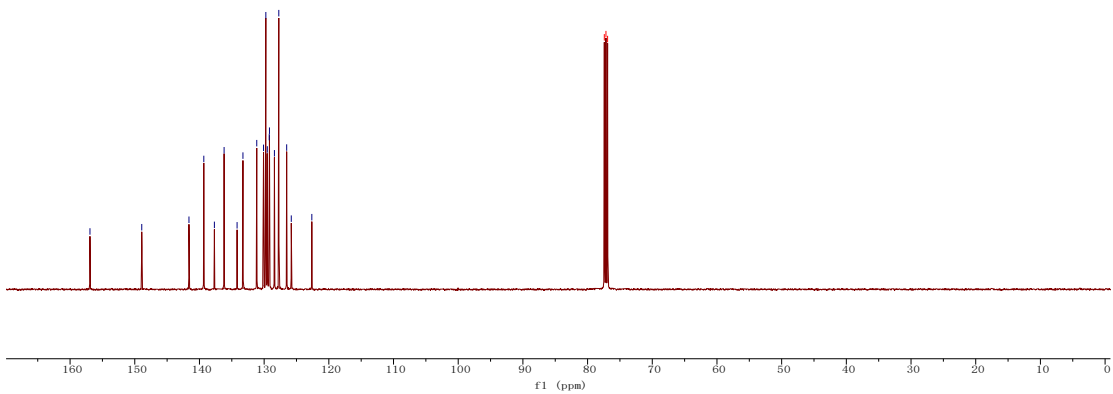
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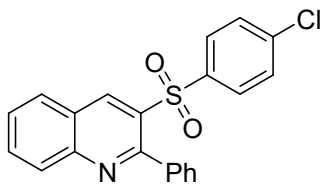
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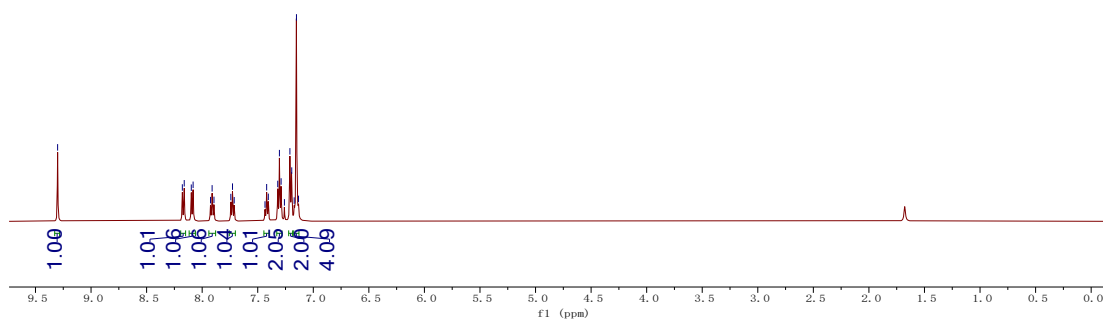
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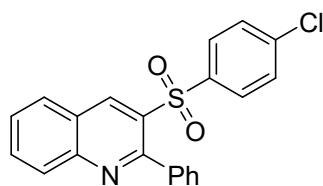
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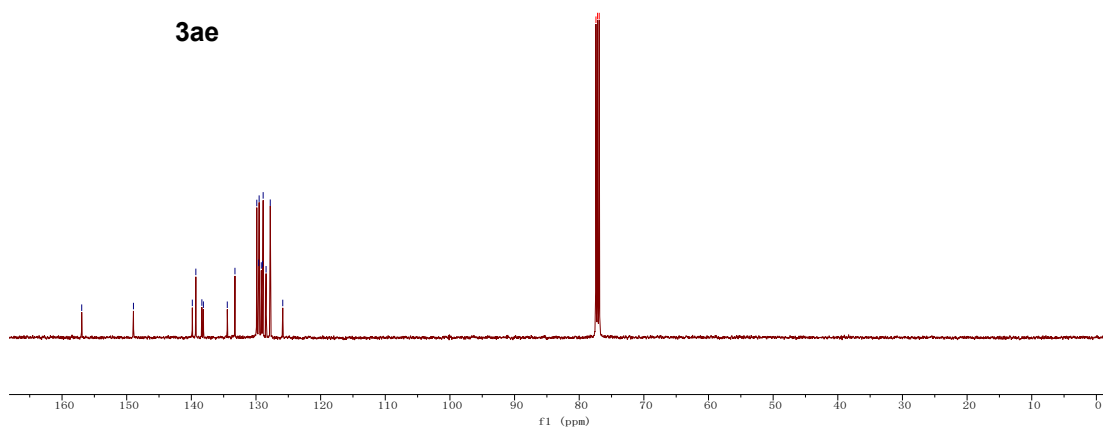
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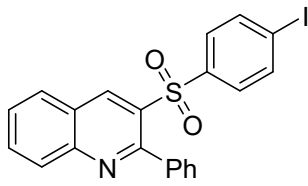
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128.98  
128.89  
128.44  
127.79  
125.86  
77.41 Cl  
77.16 Cl  
76.91 Cl



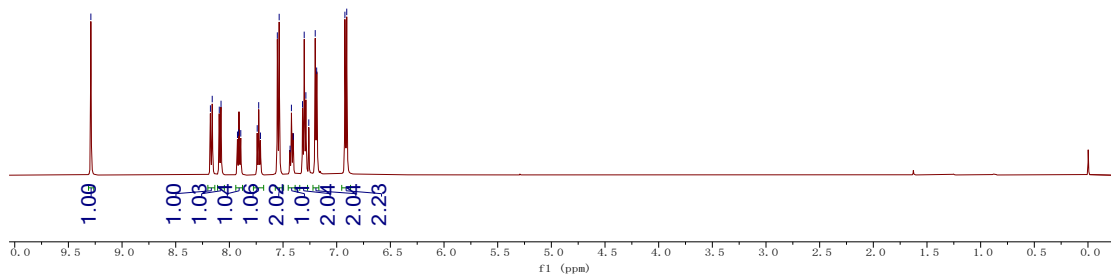
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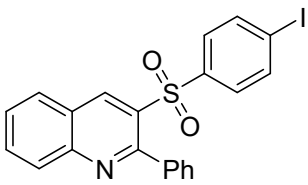
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7.71  
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7.53  
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7.26  
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7.18  
6.92



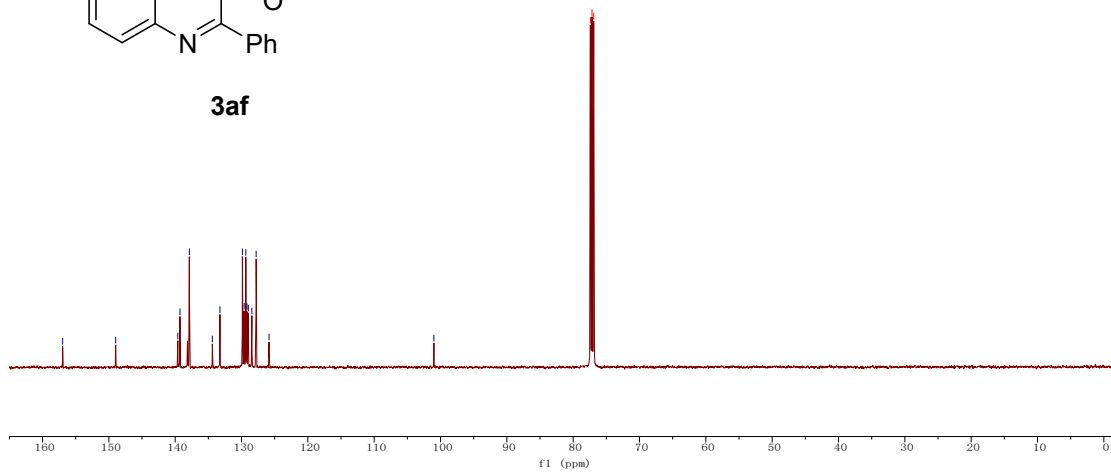
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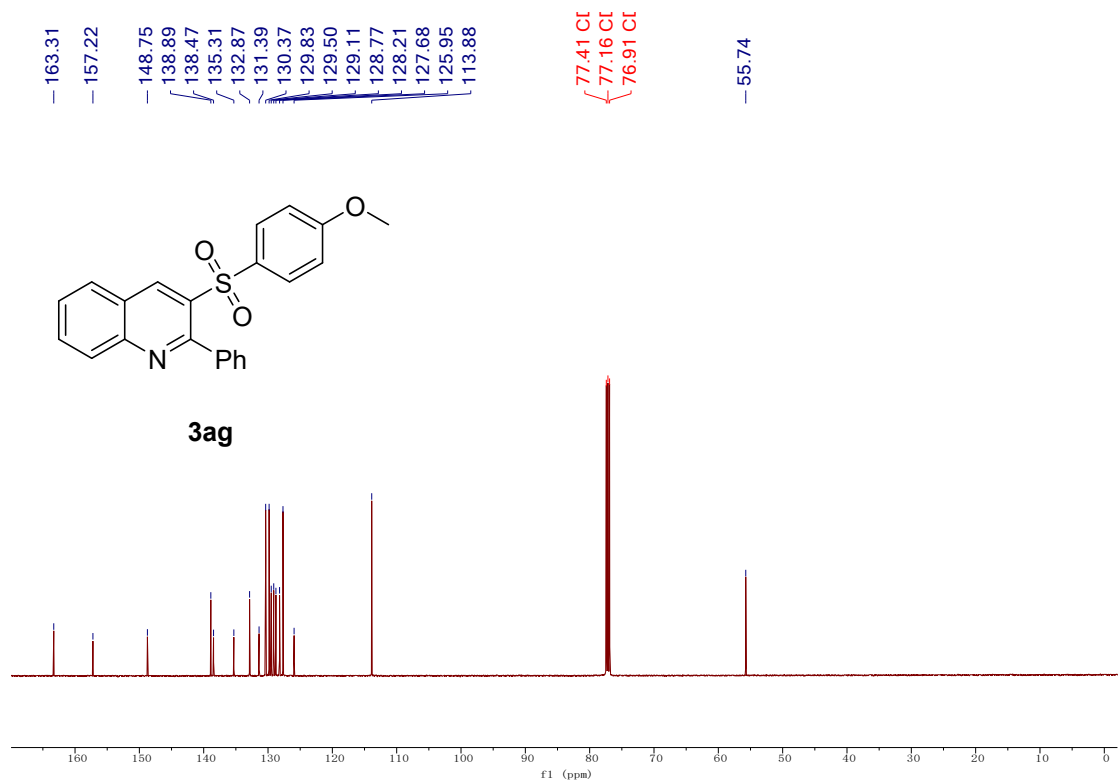
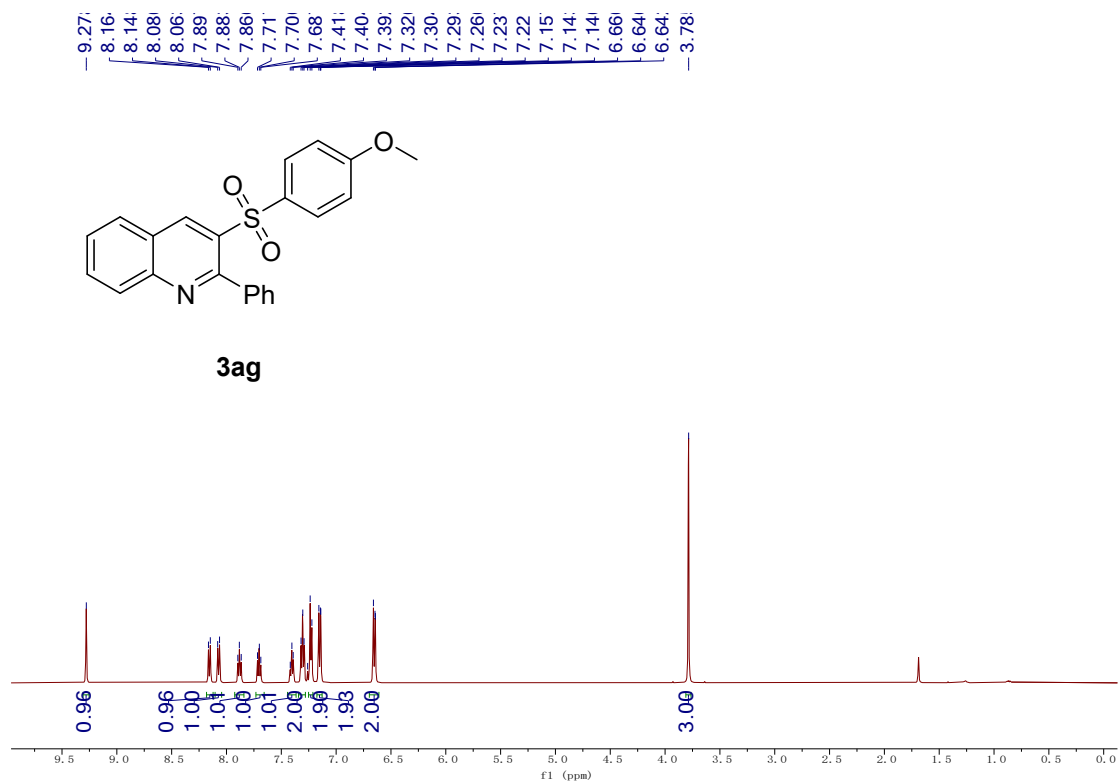


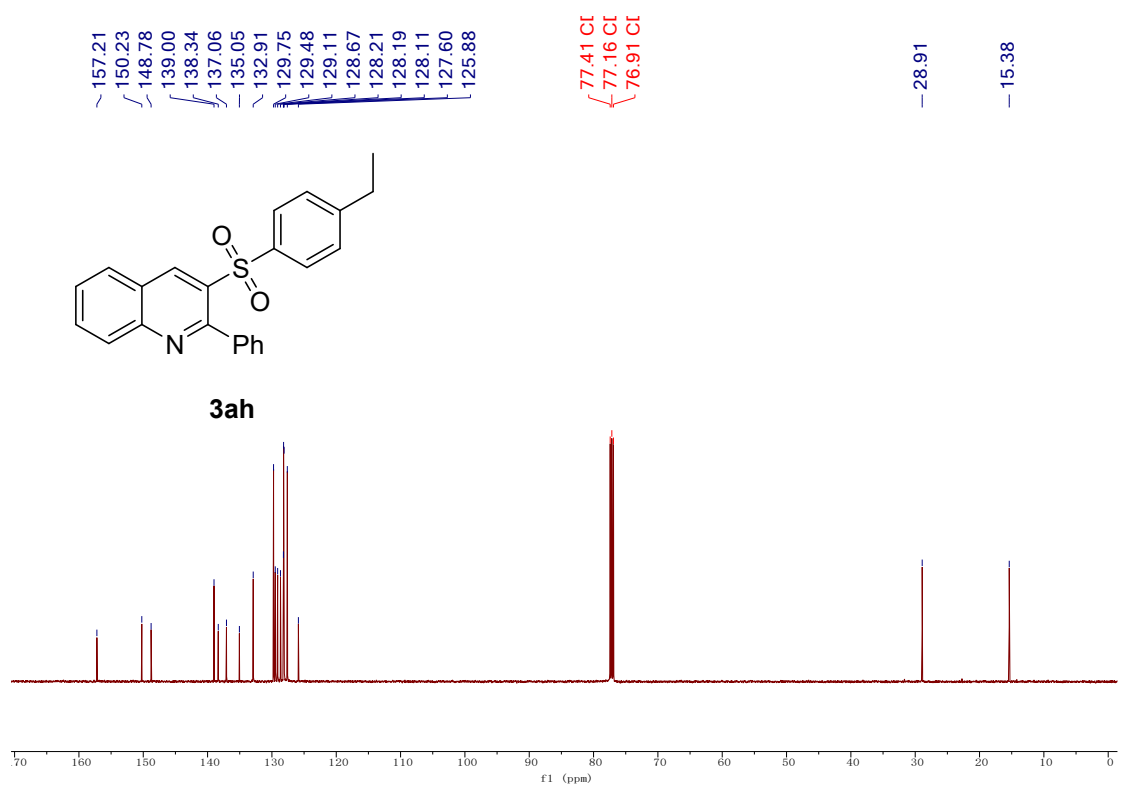
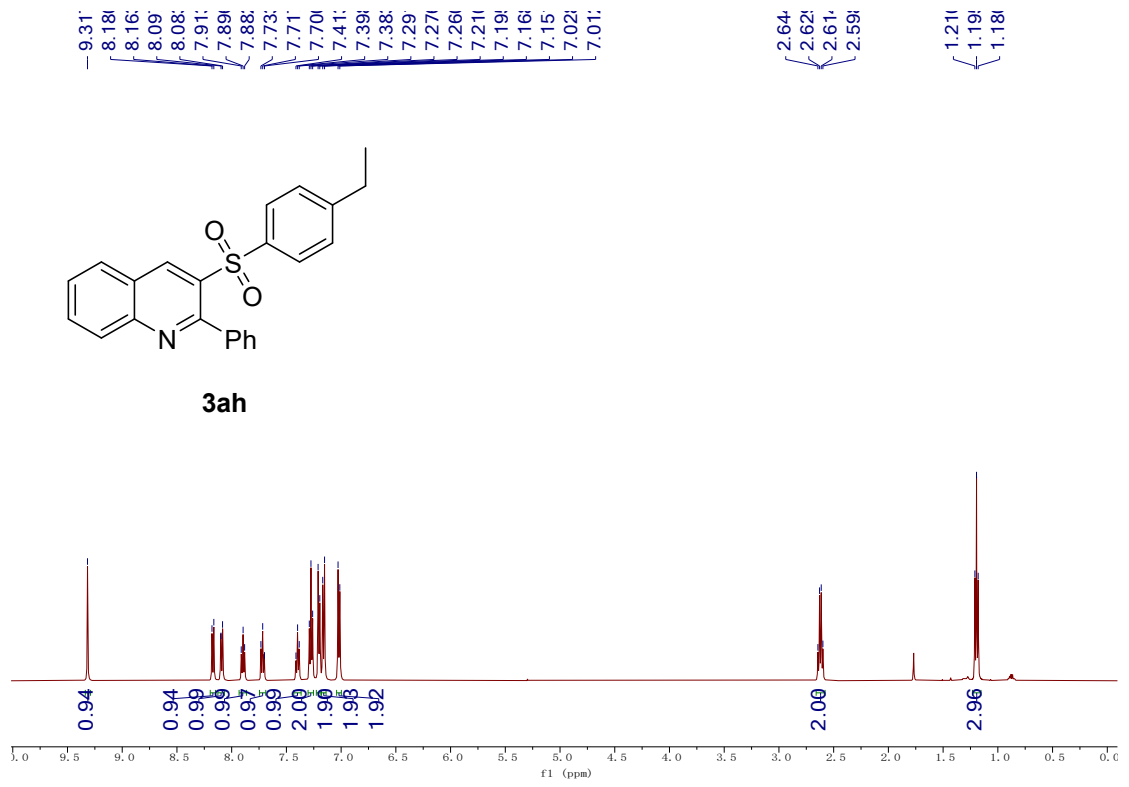
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125.85  
101.00  
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77.16 Cl  
76.91 Cl

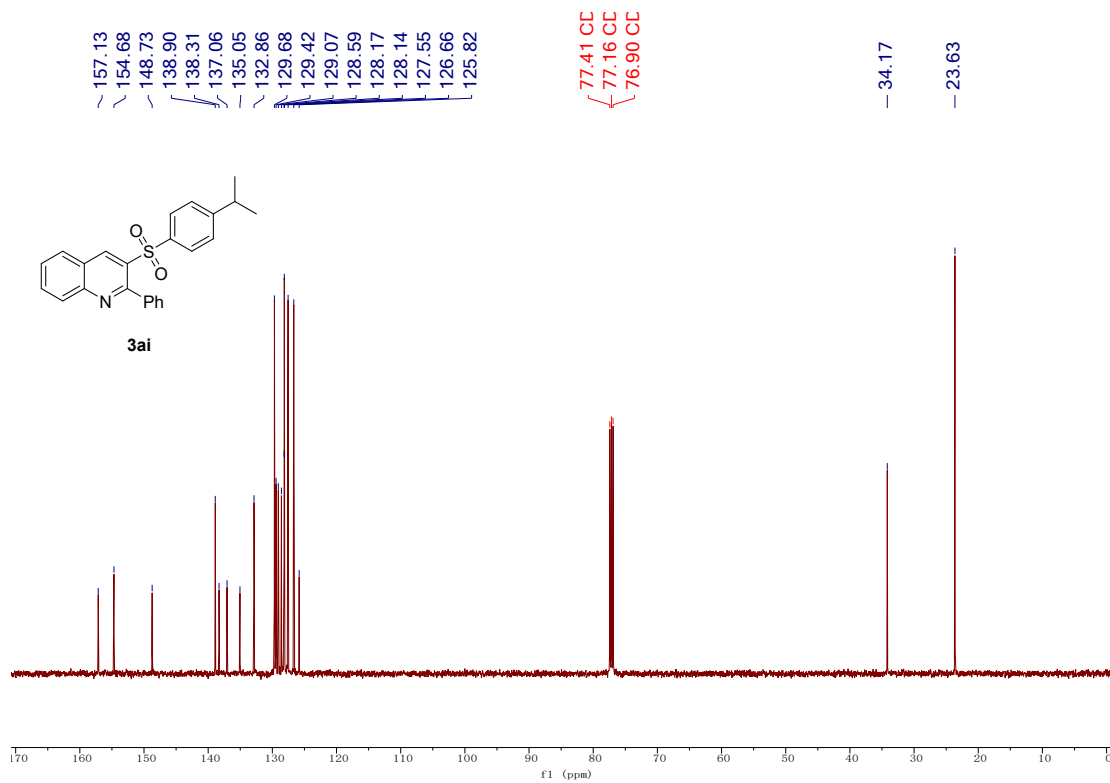
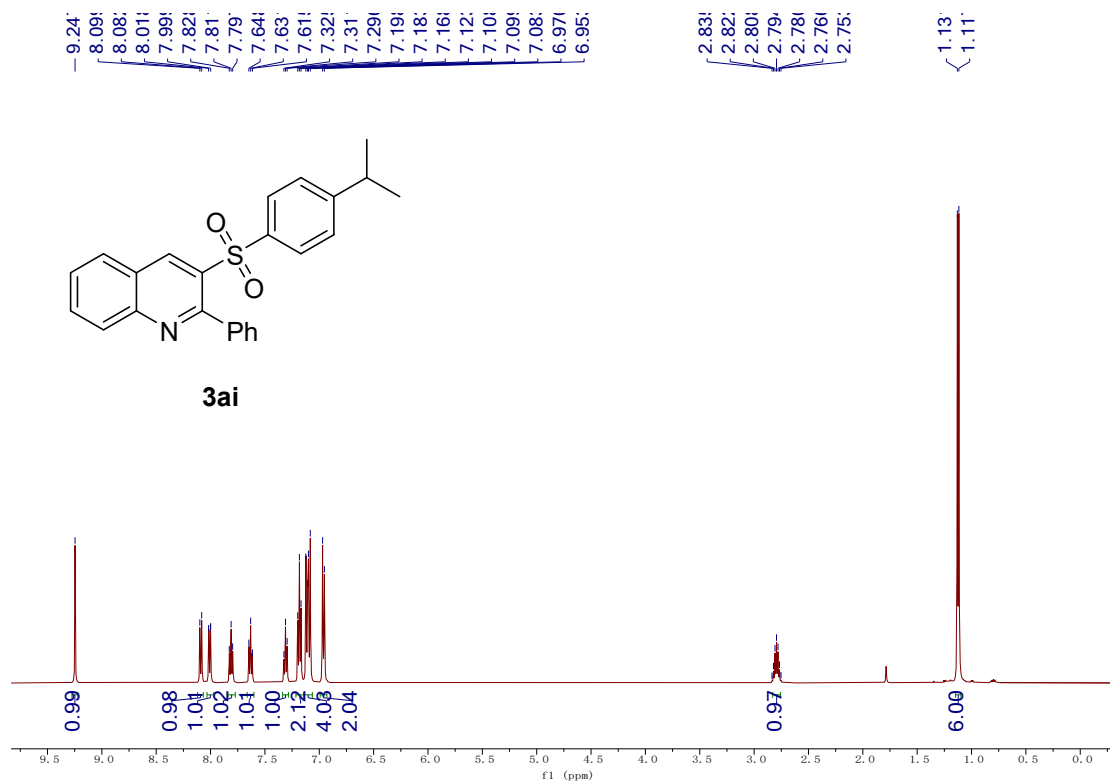


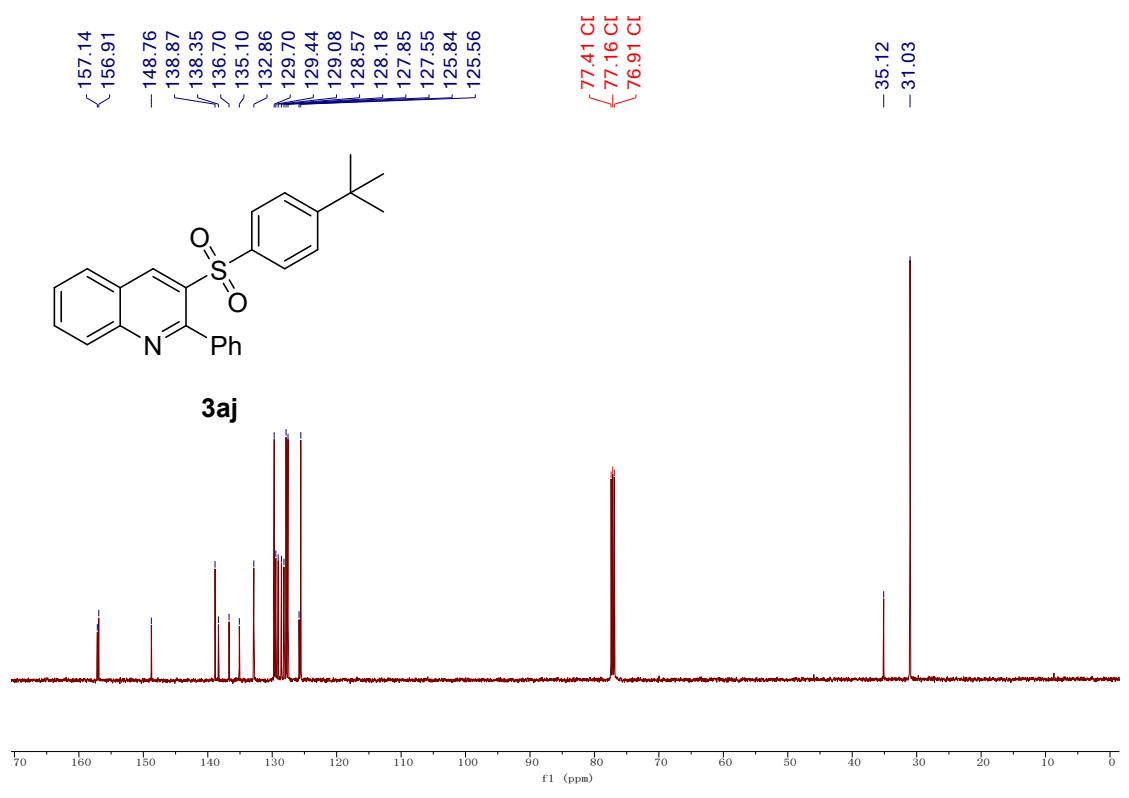
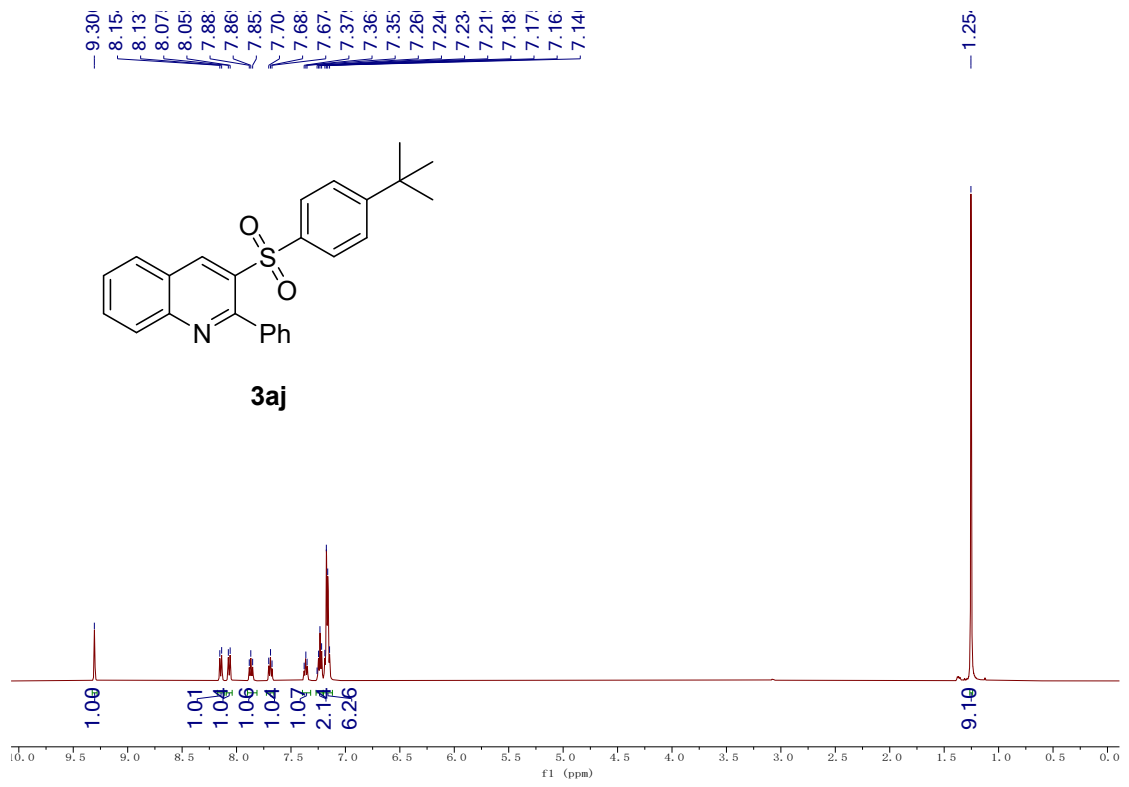
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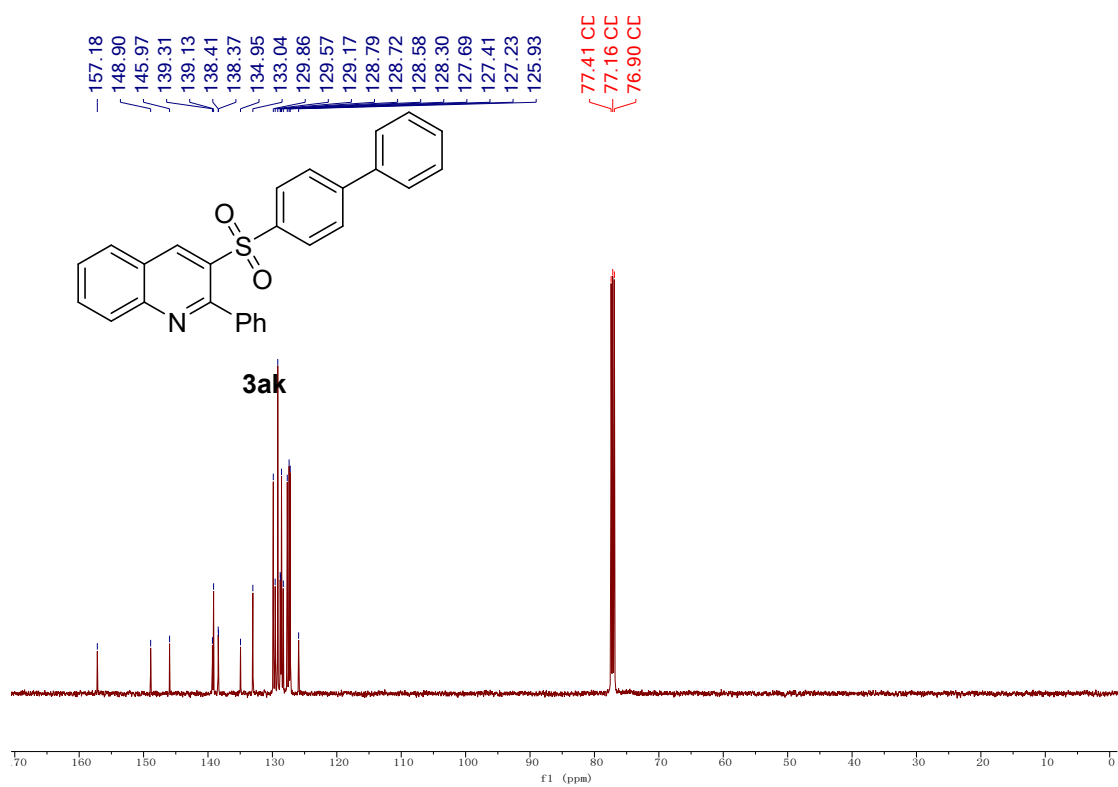
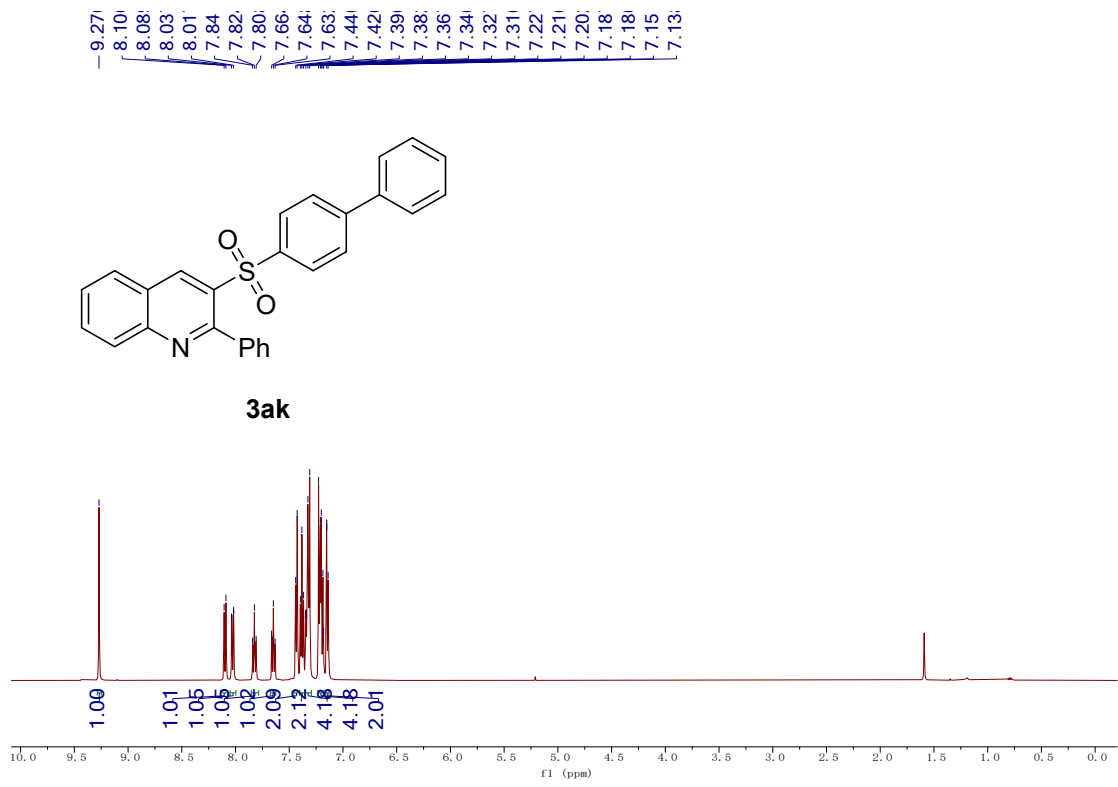






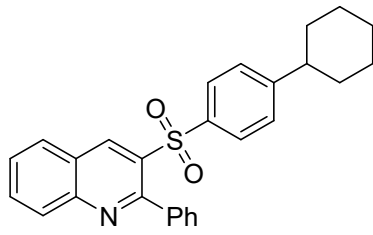




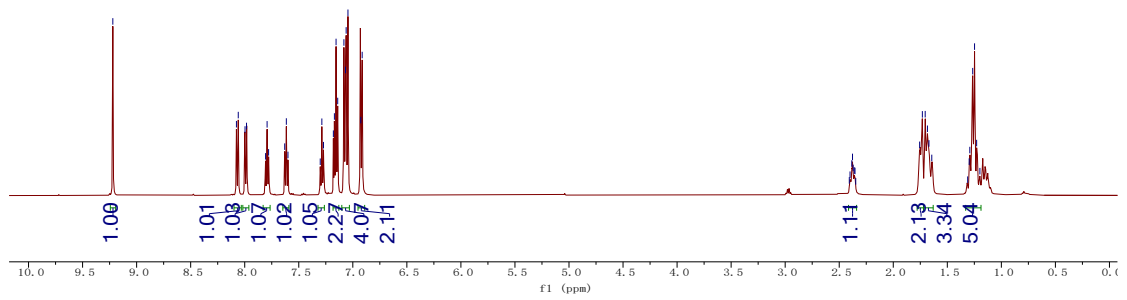




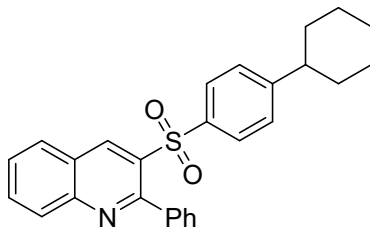
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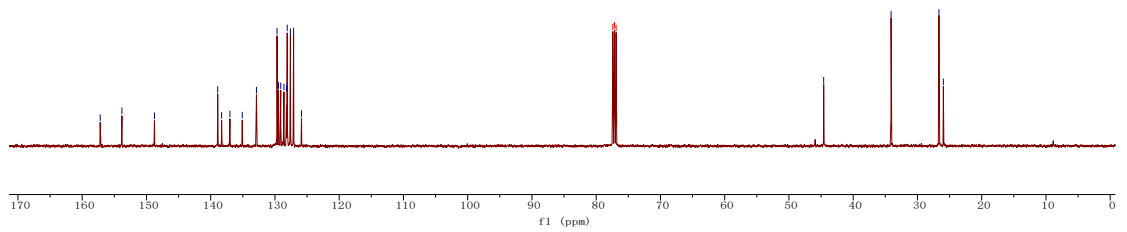
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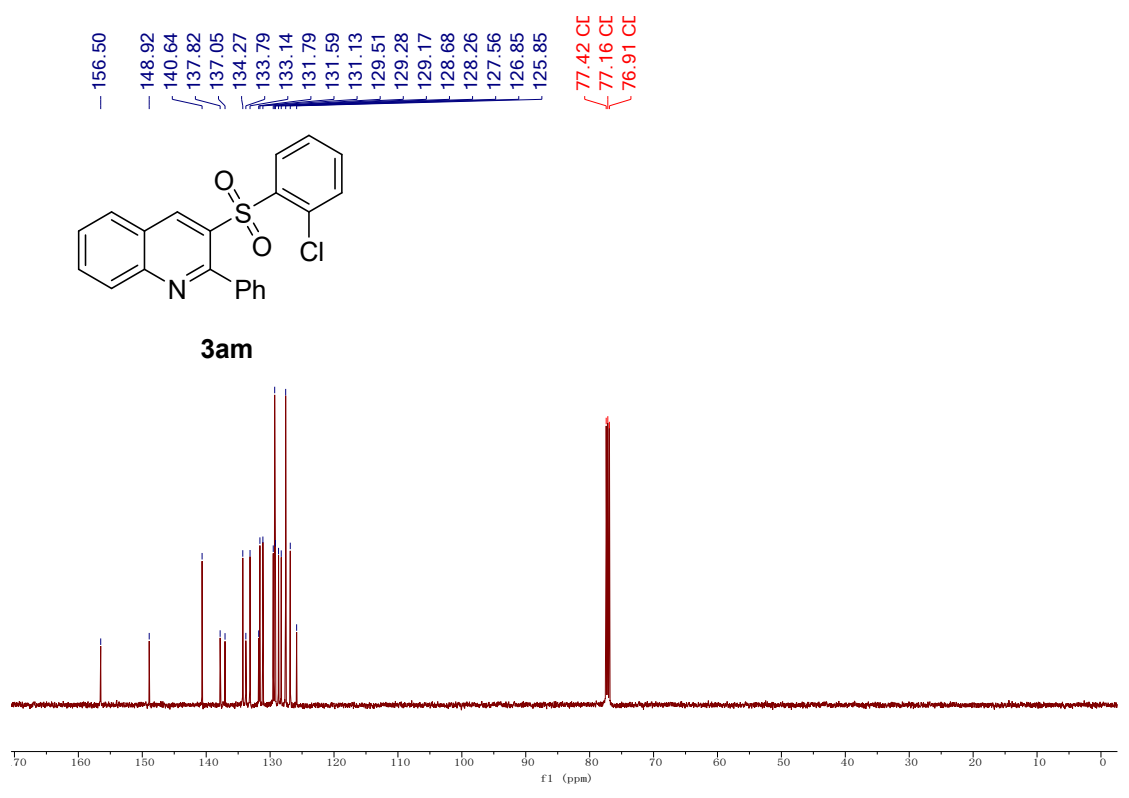
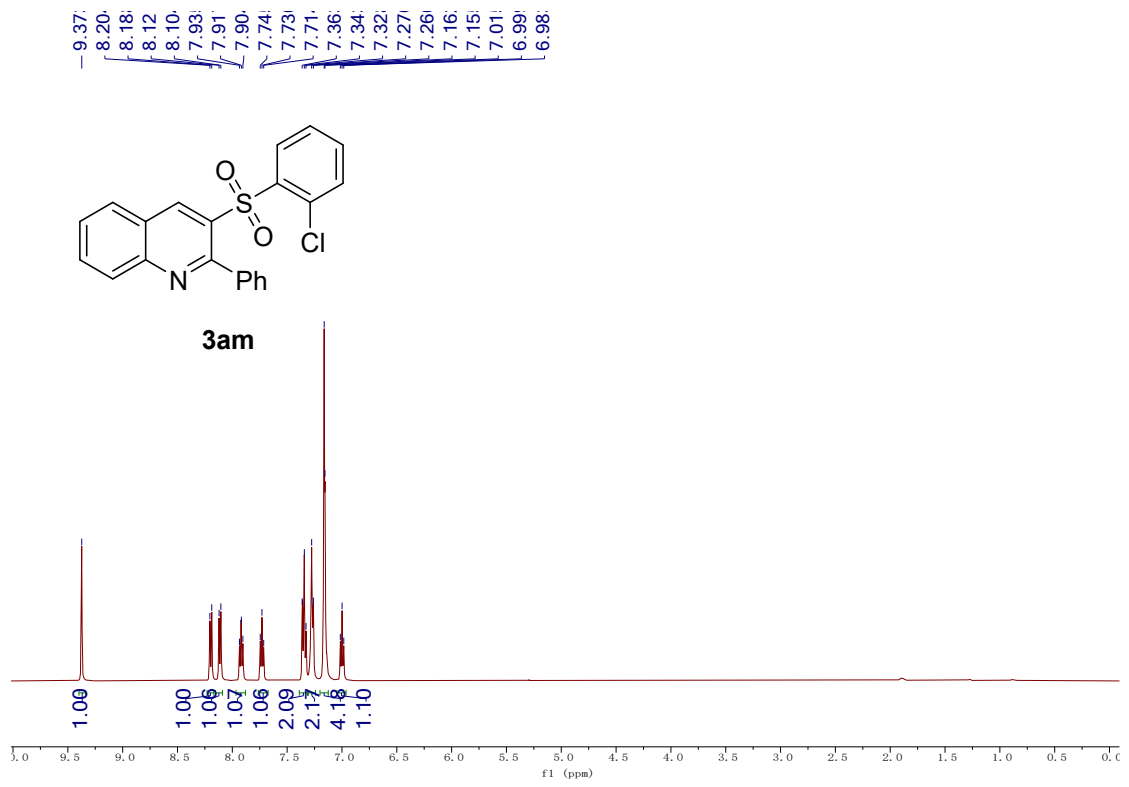


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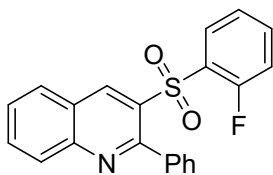


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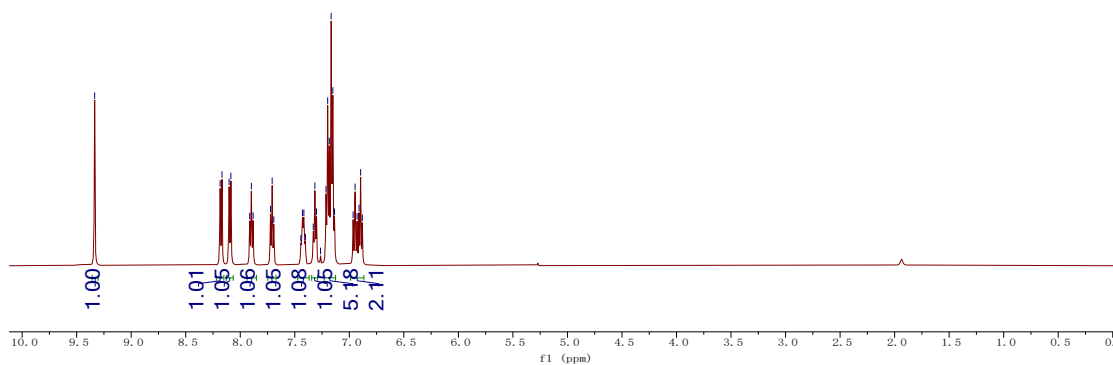




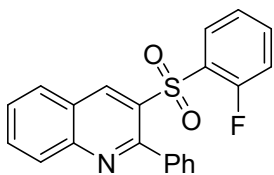
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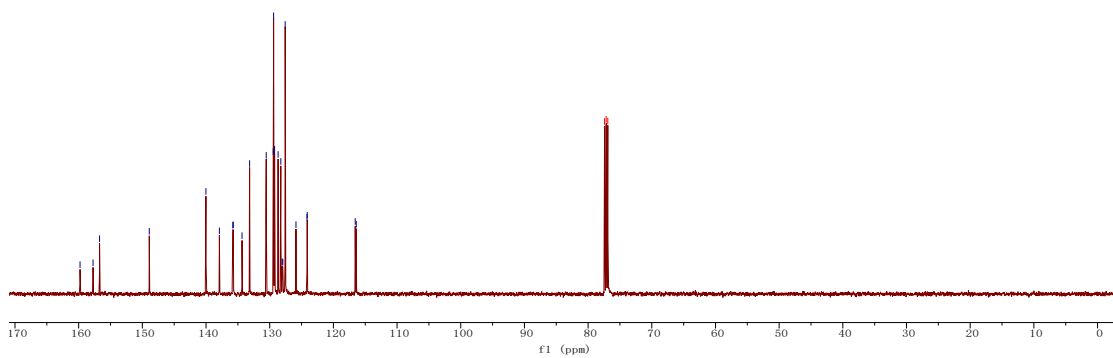
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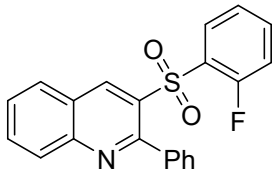


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76.91 CI

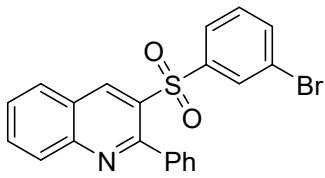
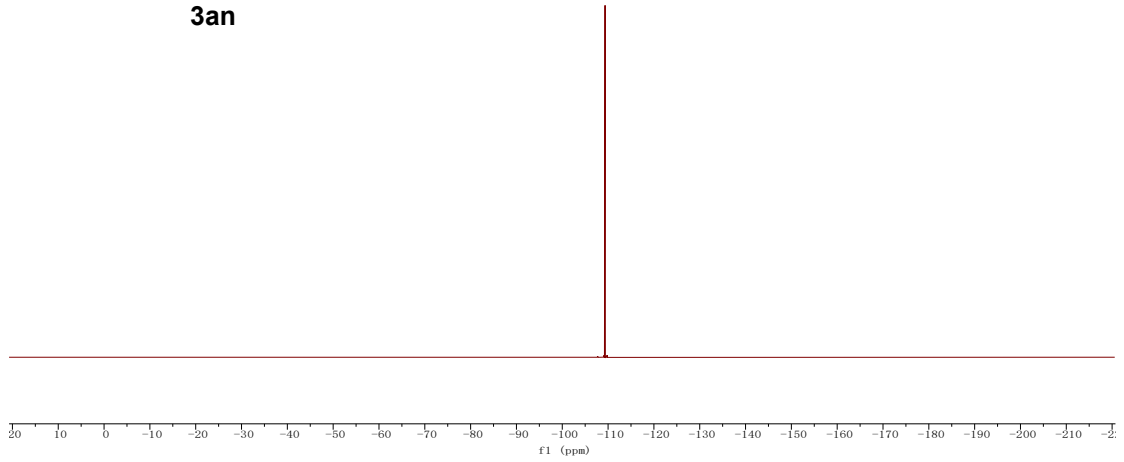


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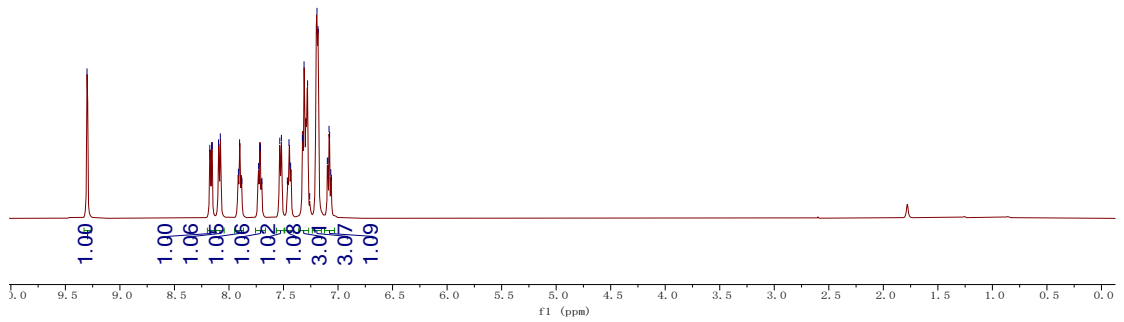


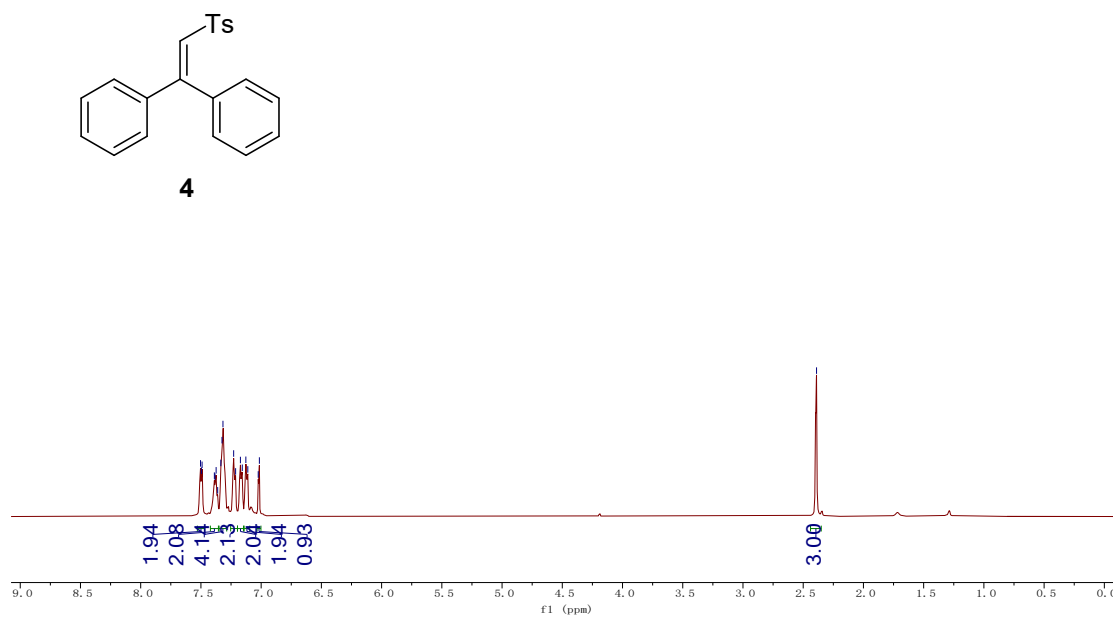
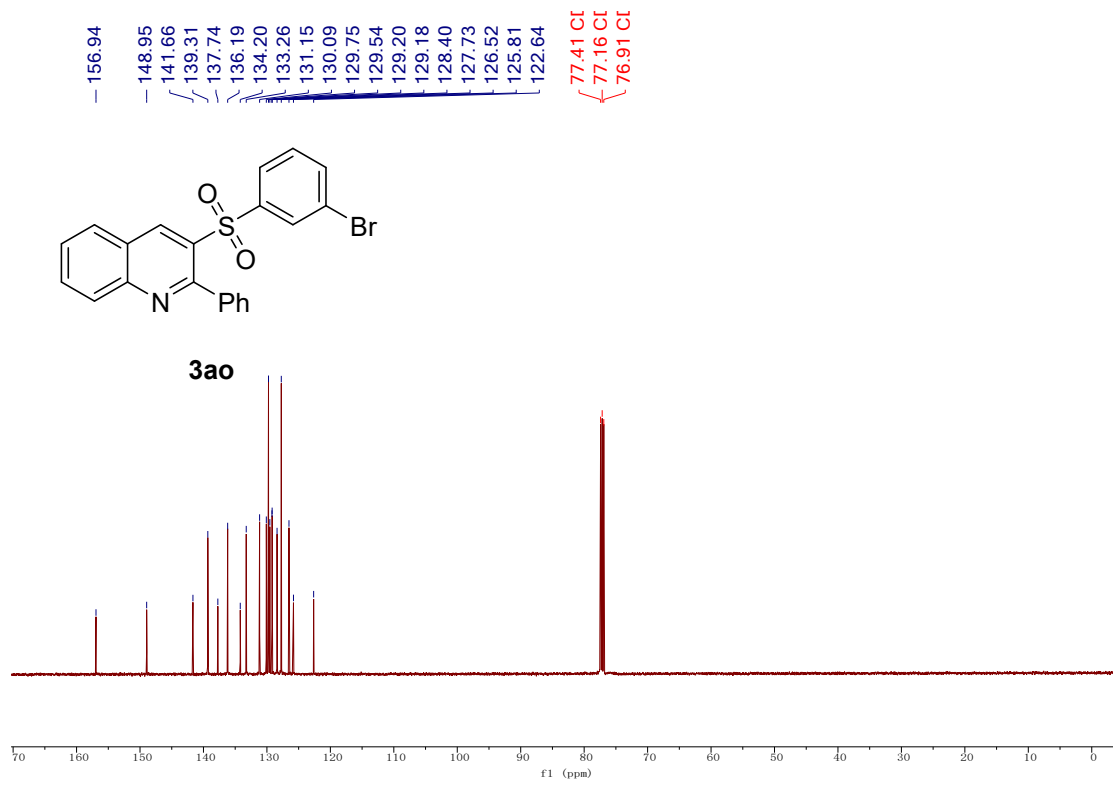


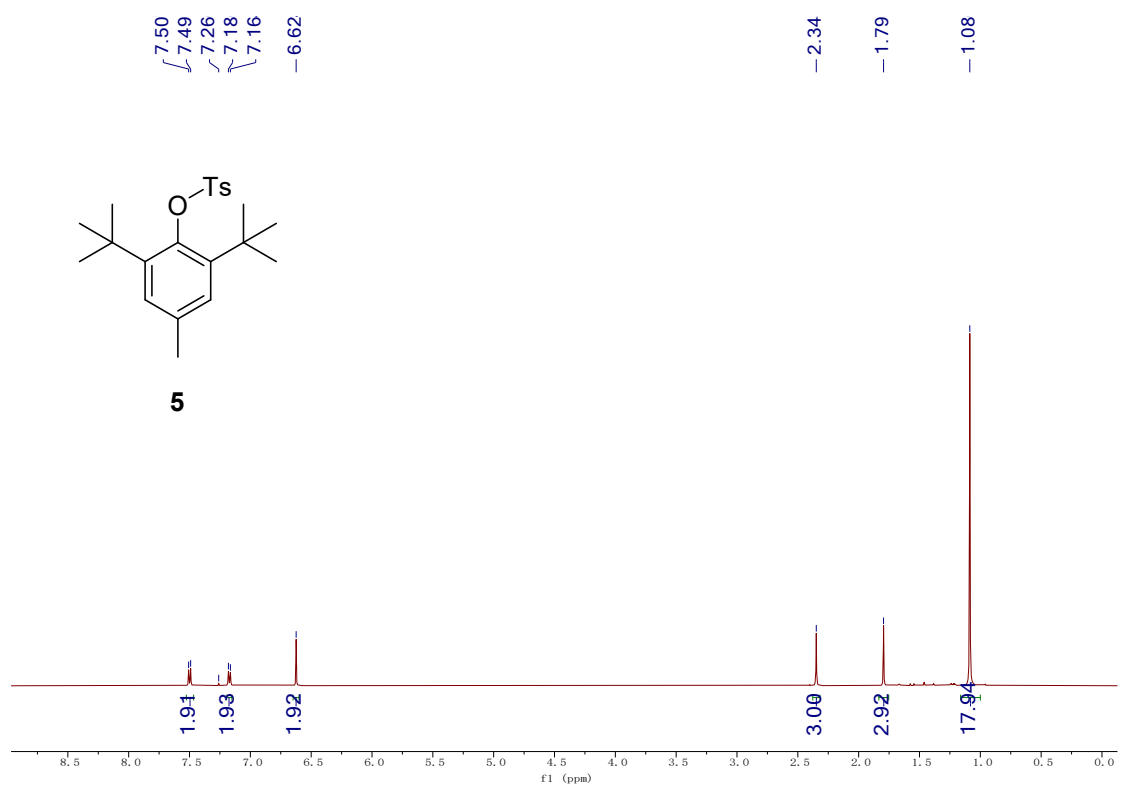
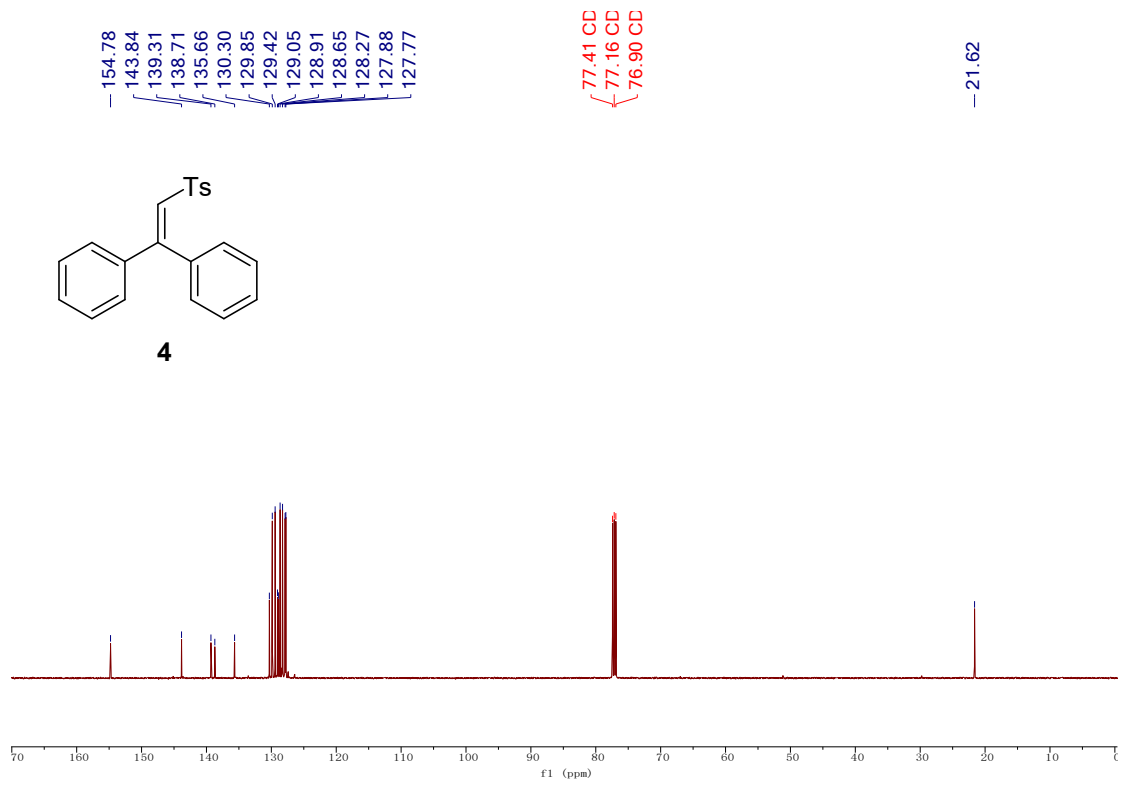
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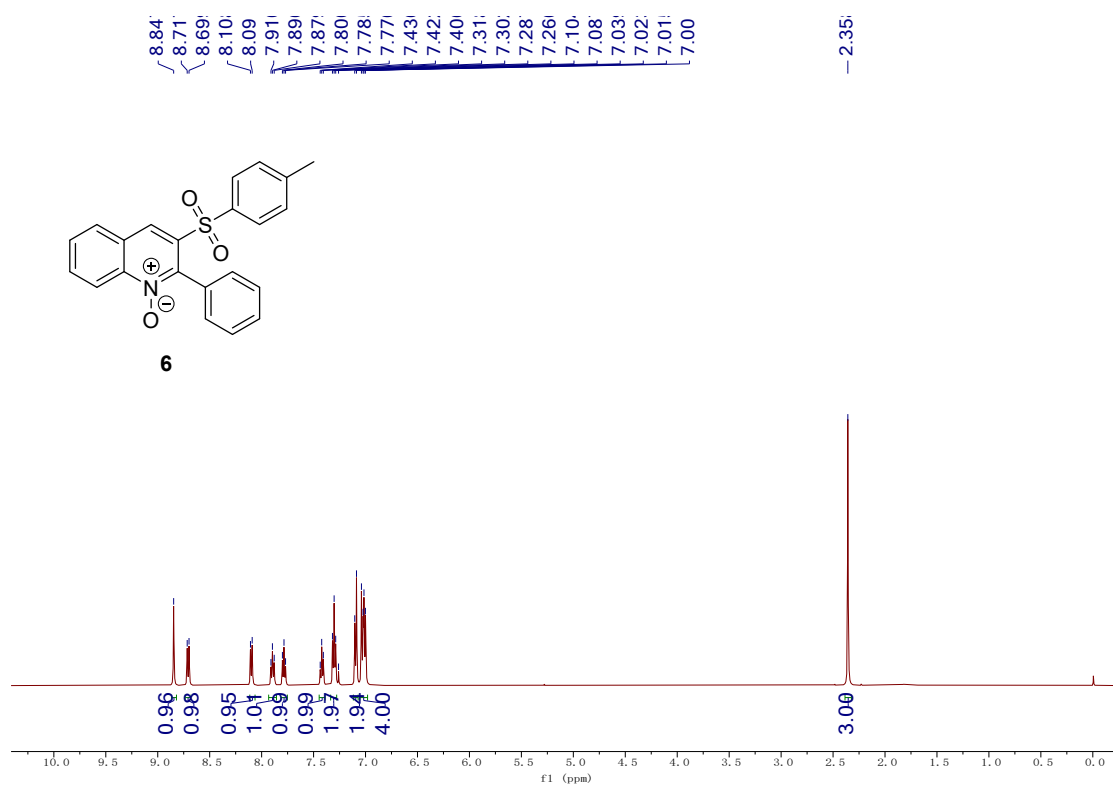
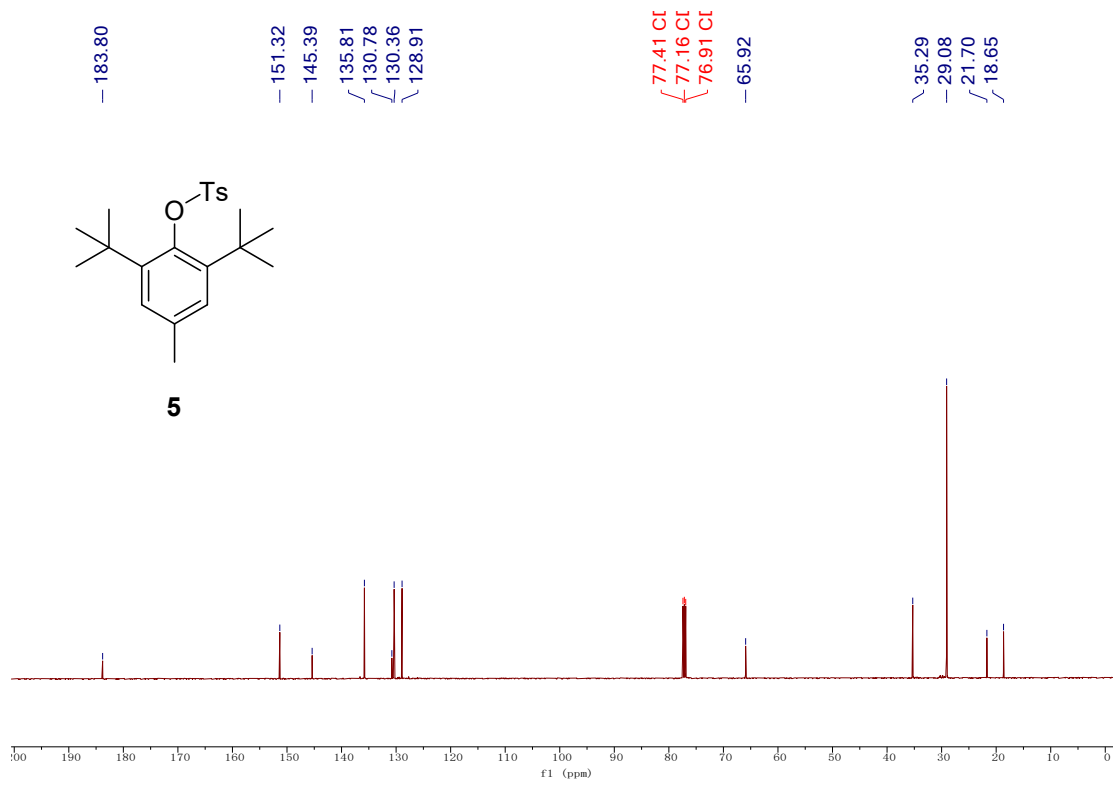


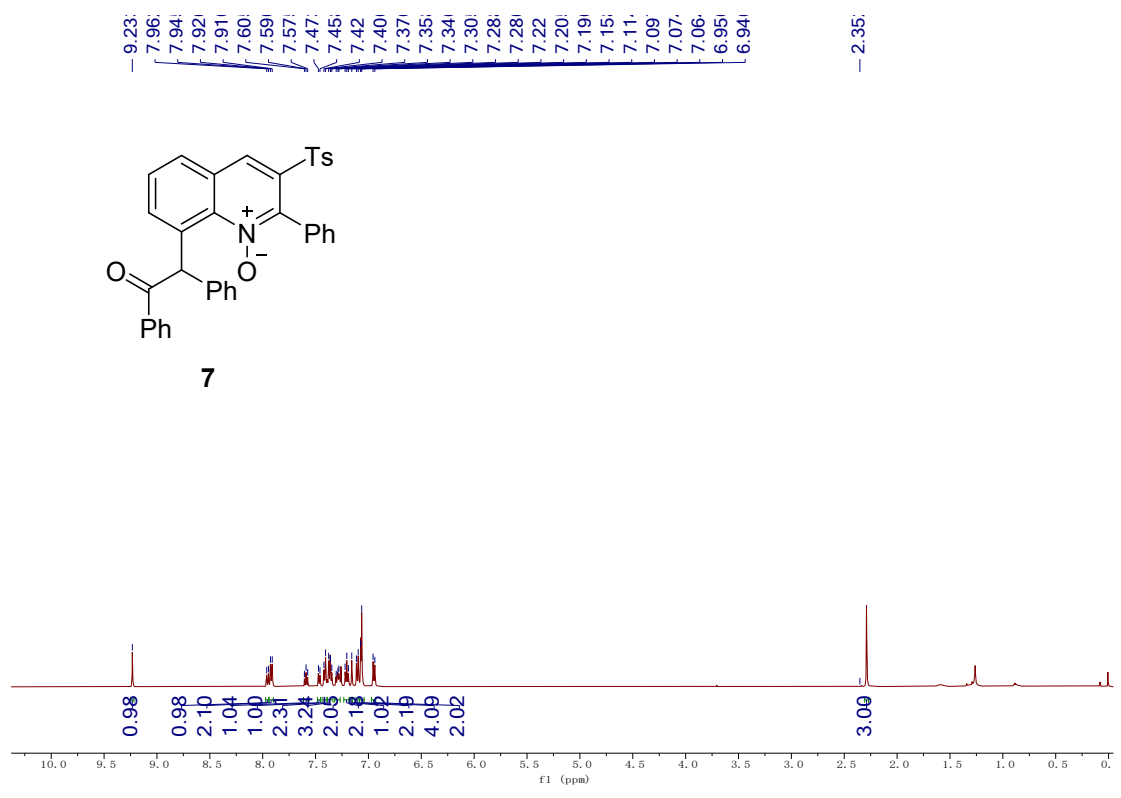
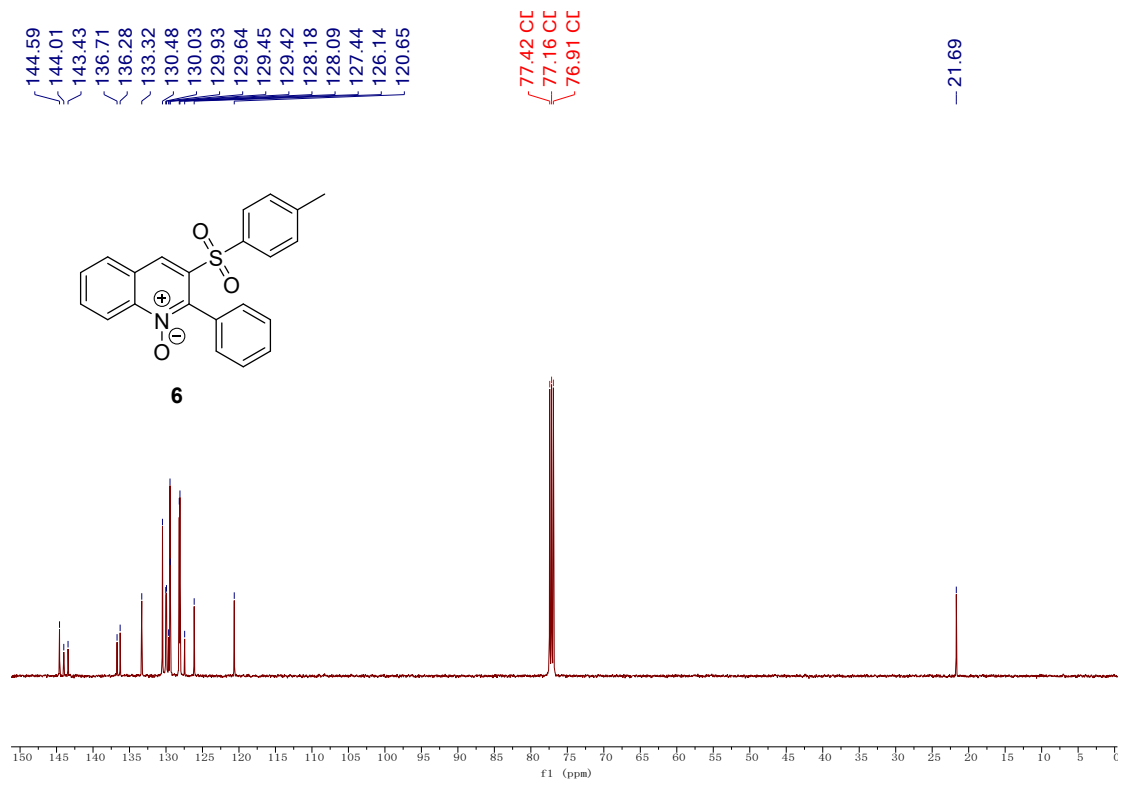
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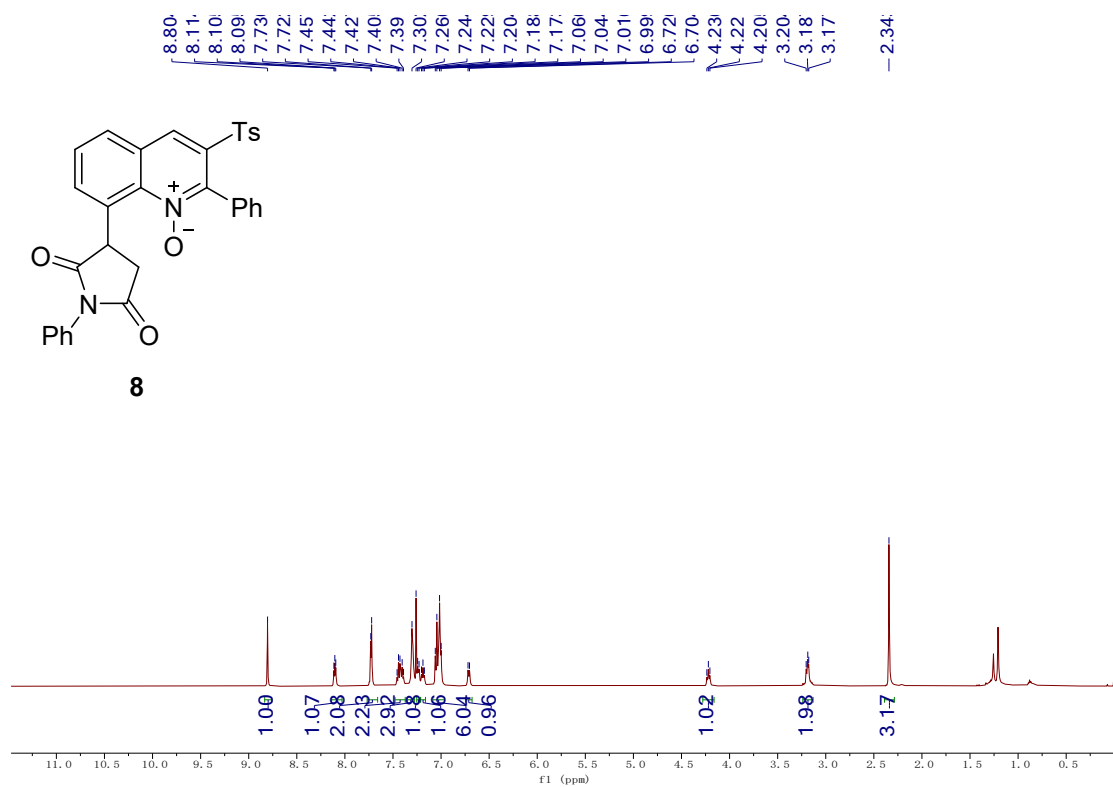
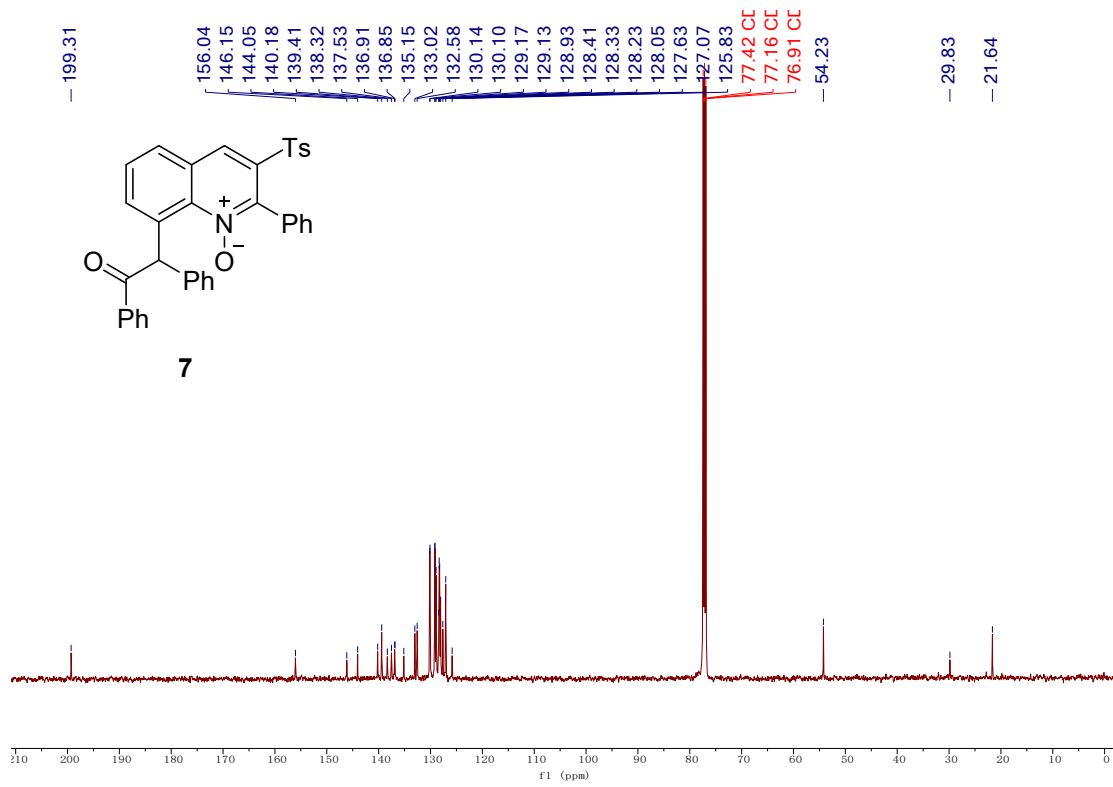


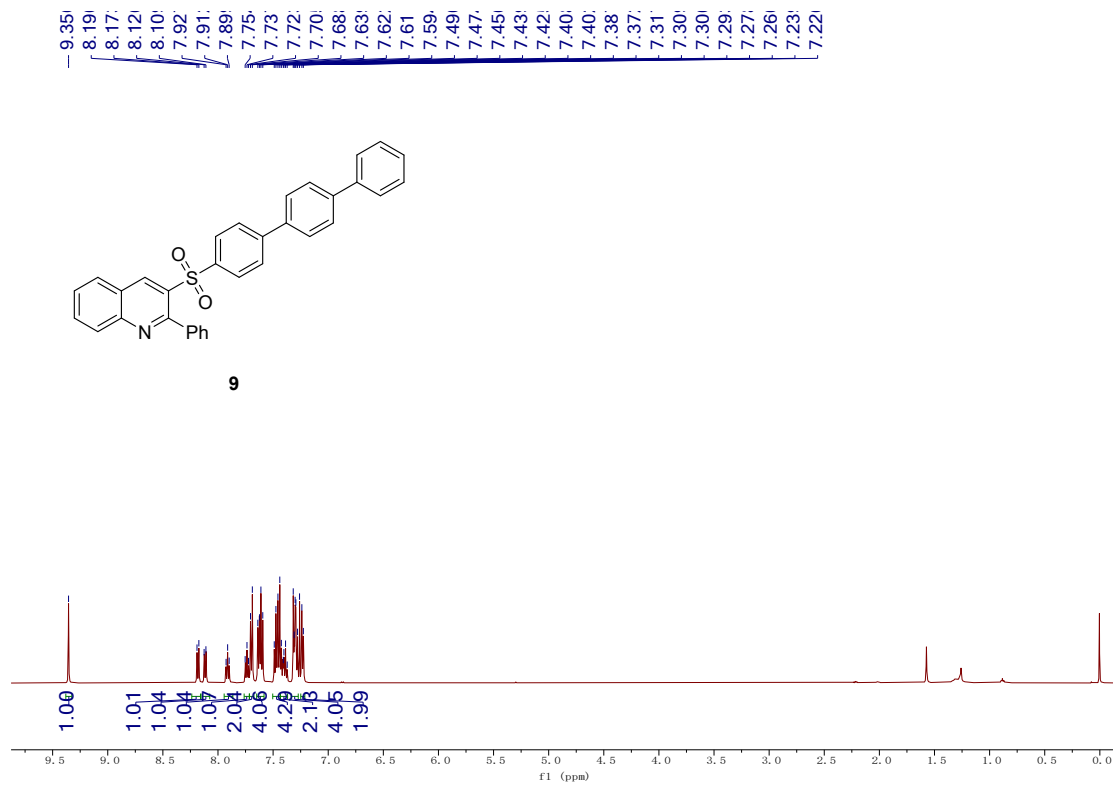
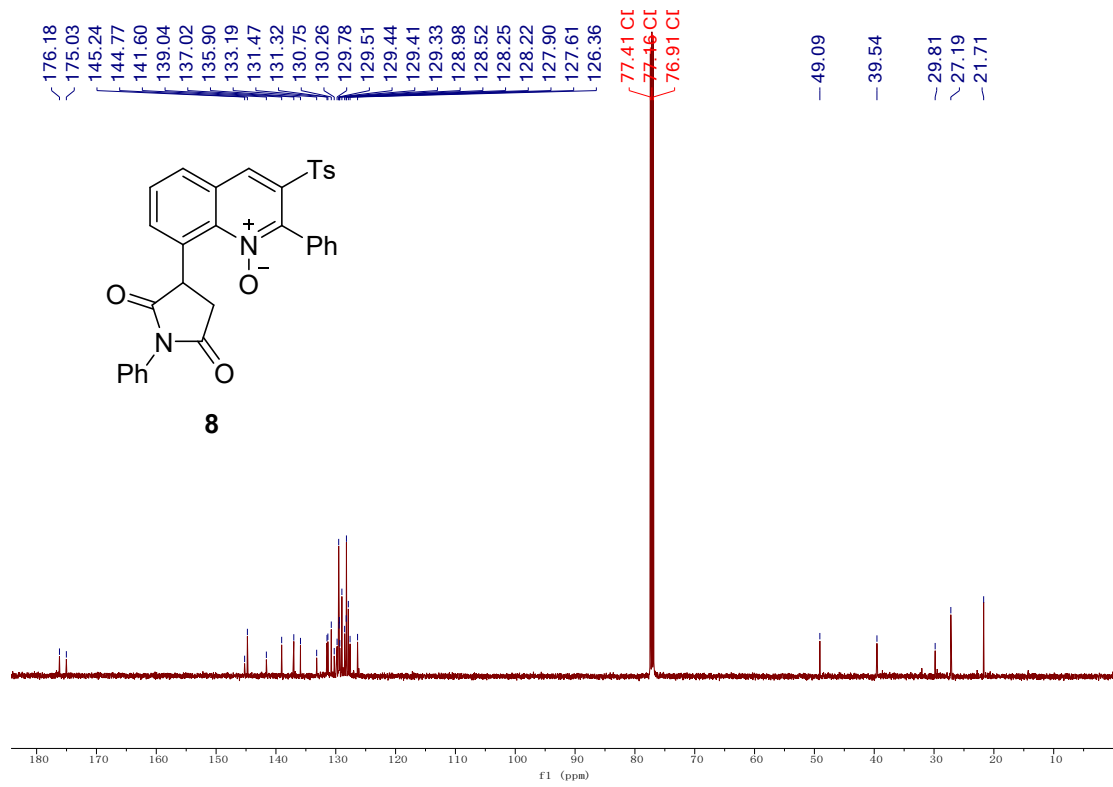


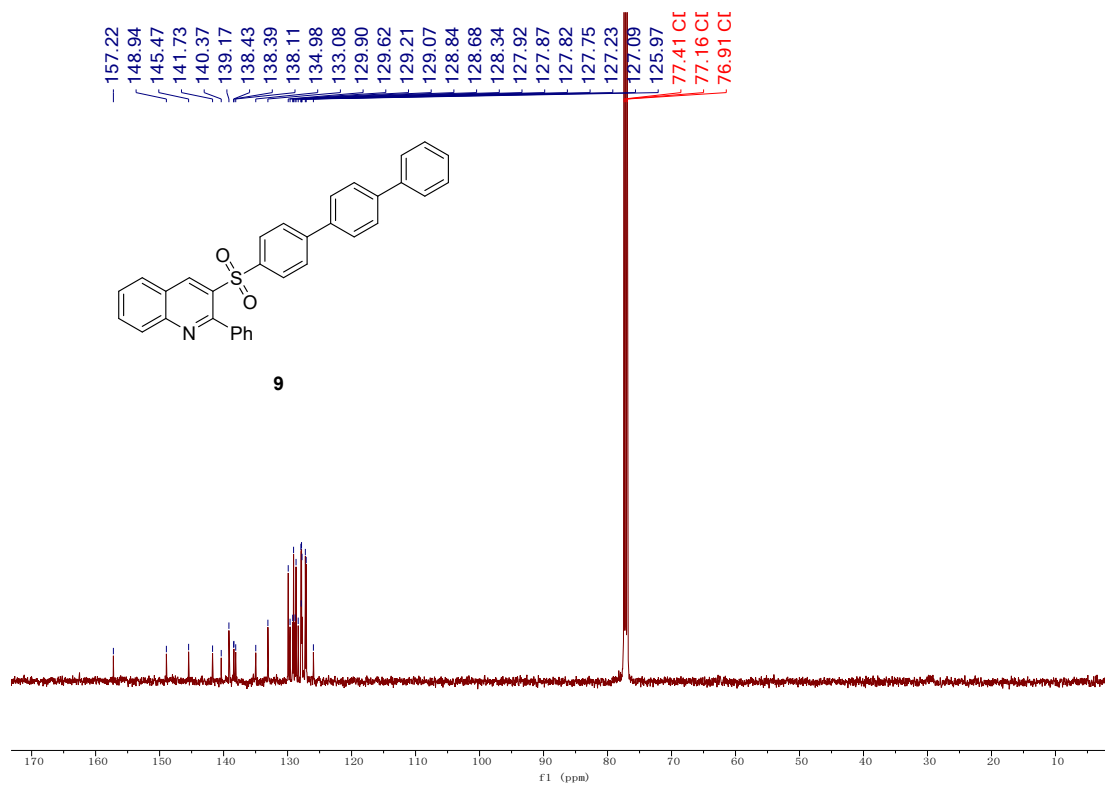












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