

Chelation-Controlled Stereospecific Ring-Opening Arylation of α -Aminoaryl-Tethered Alkylidenecyclopropanes: Stereoselective Synthesis of Polysubstituted Conjugated Dienes

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Supporting Information

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1. General Information

Reagents, solvents, and analytical methods:

All reactions were carried out under a nitrogen atmosphere unless otherwise stated. Starting materials were synthesized according to existing methods. All reagents were purchased from TCI, Energy Chemical, and Bidepharm and used without purification. ^1H NMR spectra were recorded in CDCl_3 on a Bruker Ascend 500 spectrometer (500 MHz), and chemical shifts (δ values) were reported in parts per million (ppm) relative to internal tetramethylsilane standard (TMS, 0 ppm). The number of protons (n) for a given resonance is reported as nH. Coupling constants (J) were given in Hertz (Hz). ^{13}C NMR spectra were recorded in CDCl_3 on a Bruker Ascend 500 spectrometer (126 MHz) relative to internal CDCl_3 standard (77.16 ppm). ^{19}F NMR spectra were recorded in CDCl_3 on a Bruker Ascend 500 spectrometer (471 MHz). The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, dd = double doublet, ddd = double doublet of doublets, t = triplet, dt = double triplet, q = quatrilplet, m = multiplet. All highresolution mass spectra (HRMS) were obtained on a Thermo ScientificTM Q ExactiveTM UHMR (Ultra High Mass Range) Hybrid Quadrupole-OrbitrapTM mass spectrometer.

2. Preparation of the Starting Materials.

2.1 Synthesis of 1a-1k

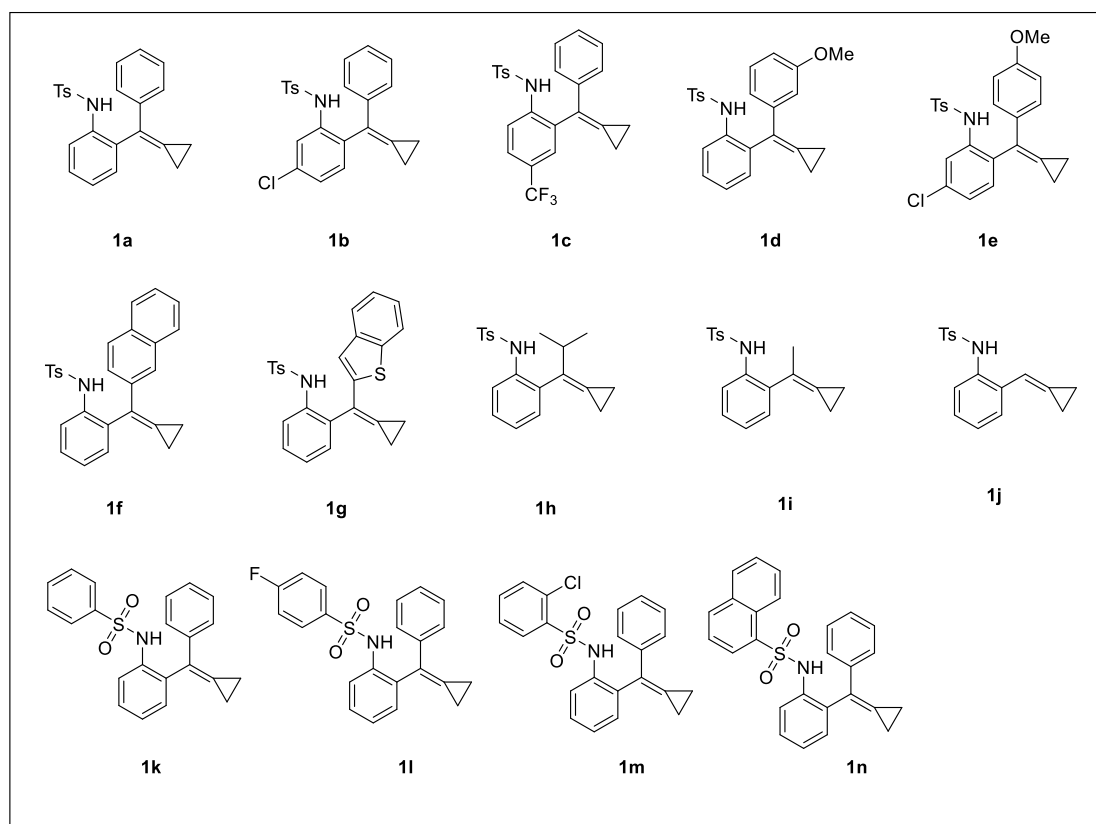


Figure S1 Substrates of ACPs

Compounds **1a**^[1], **1b**^[2], **1d**^[3], **1f**^[3], **1h**^[3], **1m**^[3], **1n**^[3] were prepared according to the previous literatures.

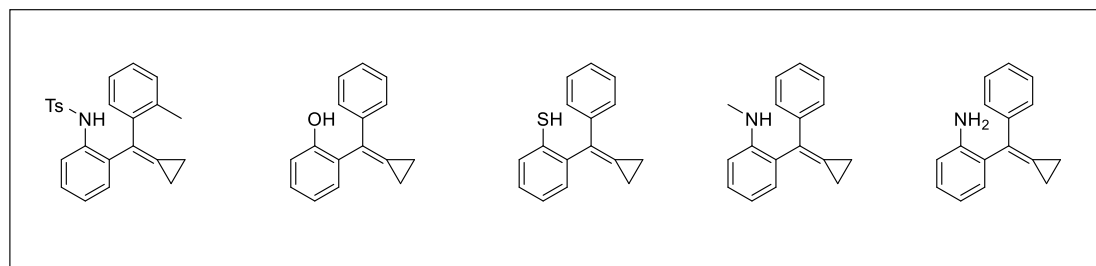


Figure S2 Unsuccessful substrates

2.2 Synthesis of 2a-2z

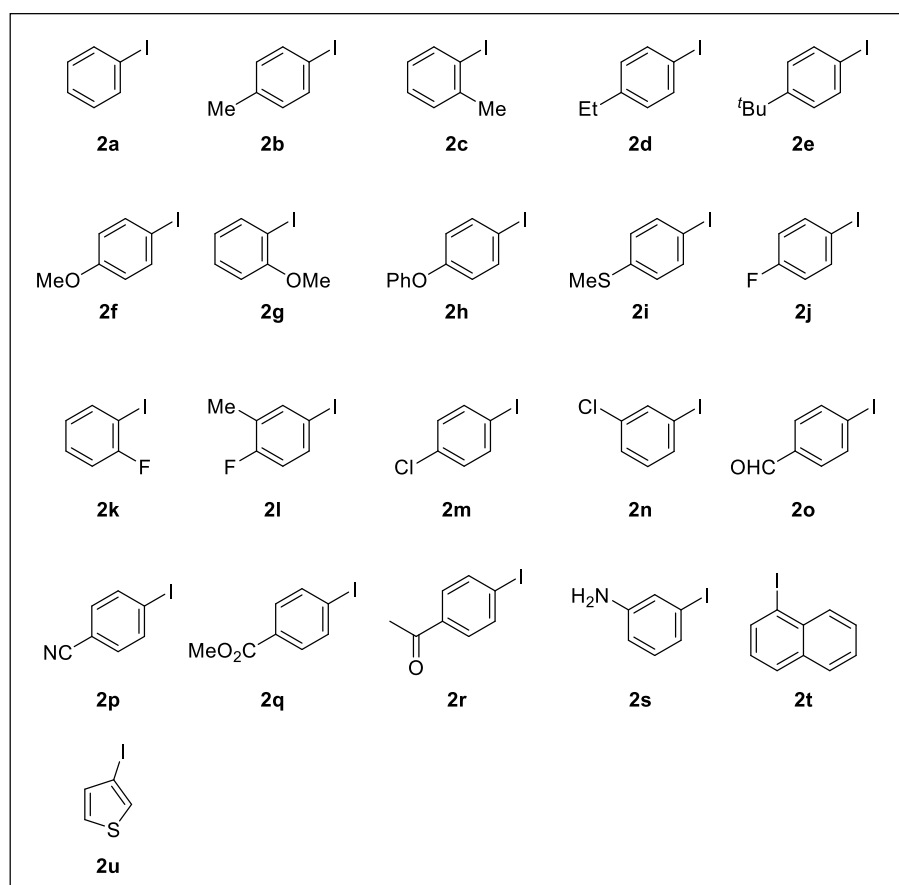


Figure S3 Substrates of nitrobenzene

Compounds **2a-2u** are commercially available.

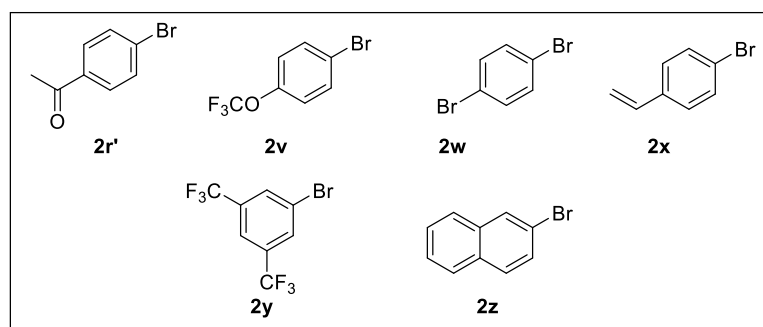


Figure S4 Substrates of nitrobenzene

Compounds **2r'-2z** are commercially available.

3. Optimization of the Reaction Conditions

3.1 Optimization of the Reaction Conditions for the Ring Opening Arylation.

Table S1. Screening of Ligand ^a

Entry	Ligand	Yield (%) ^[b]
1	PPh ₃	50
2	PCy ₃	trace
3	2,2-bpy	ND.
4	4,4- <i>t</i> Bu-2,2-bpy	ND.
5	BuPAd ₂	30
	dppf	75
6	dppp	64
7	DPEphos	72
8	Xantphos	70

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(OAc)₂ (10 mol%), L, K₂CO₃ (2.0 eq.), DMF (2 mL), N₂ atmosphere, 50 °C for 12 h. [b] Isolated yield.

Table S2. Screening of Catalyst ^a

Entry	Catalyst	Yield (%) ^[b]
1	PdCl ₂	23
2	Pd(acac) ₂	19
3	Pd(TFA) ₂	67
4	Pd(MeCN) ₂ Cl ₂	59
	Pd(OAc) ₂	75
5	Pd(MeCN) ₄ (BF ₄) ₂	64

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), catalyst, dppf (10 mol%), K₂CO₃ (2.0 eq.), DMF (2 mL), N₂ atmosphere, 50 °C for 12 h. [b] Isolated yield.

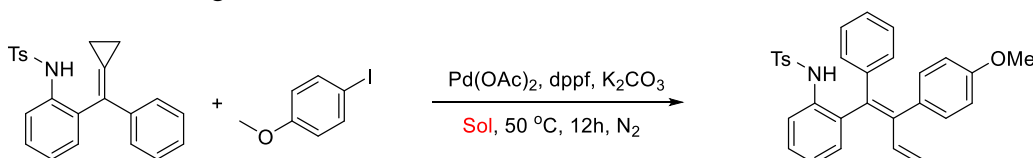
Table S3. Screening of the temperature ^a

Entry	Temp.	Yield (%) ^[b]
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1	40 °C	60
2	50 °C	74
3	60 °C	58

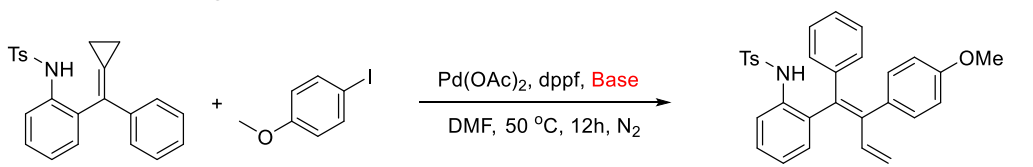
[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(OAc)₂ (10 mol%), dppf (10 mol%), K₂CO₃ (2.0 eq.), DMF (2 mL), N₂ atmosphere, T °C for 12 h. [b] Isolated yield.

Table S4. Screening of solvent ^a

		
Entry	Solvent	Yield (%) ^[b]
1	THF	trace
2	DME	23
3	Tol.	22
4	DMSO	14
5	MeCN	30
6	DCM	trace
7	DMAc	70
8	DMF	75
9	NMP	73

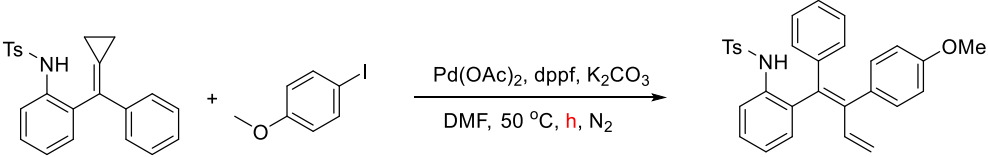
[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(OAc)₂ (10 mol%), dppf (10 mol%), K₂CO₃ (2.0 eq.), Solvent (2 mL), N₂ atmosphere, 50 °C for 12 h. [b] Isolated yield.

Table S5. Screening of the Base ^a

		
Entry	Base	Yield (%) ^[b]
1	NEt ₃	trace
2	<i>t</i> -BuONa	65
3	pyridine	trace
4	<i>t</i> -BuOLi	63
5	Na ₂ CO ₃	53
6	K ₂ CO ₃	75
7	Cs ₂ CO ₃	70
8	<i>t</i> -BuOK	72

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(OAc)₂ (10 mol%), dppf (10 mol%), Base (2.0 eq.), DMF (2 mL), N₂ atmosphere, 50 °C for 12 h. [b] Isolated yield.

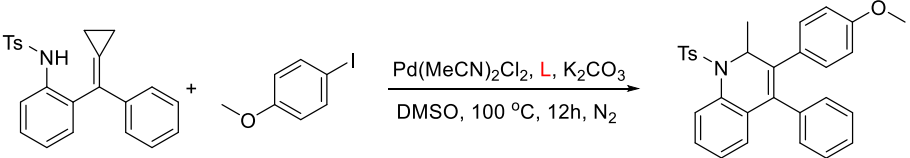
Table S6. Screening of the time ^a

		
Entry	Time	Yield (%) ^[b]
1	12	75
2	8	82
3	6	82
4	4	78

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(OAc)₂ (10 mol%), dppf (10 mol%), K₂CO₃ (2.0 eq.), DMF (2 mL), N₂ atmosphere, 50 °C for t h. [b] Isolated yield.

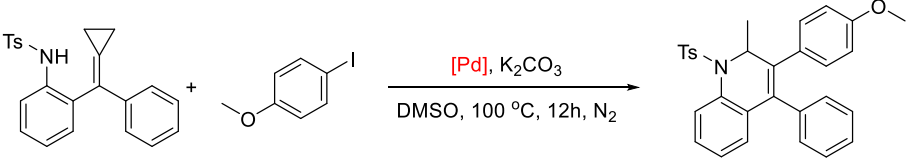
3.2 Optimization of the Reaction Conditions for the Synthesis of Dihydroquinolines.

Table S7. Screening of the Ligand ^a

		
Entry	Ligand	Yield (%) ^[b]
1	None	70
2	BINAP	30
3	2,2-bpy	69
4	BuPAd ₂	60
5	dppp	70
6	DPEphos	trace
7	Xantphos	67

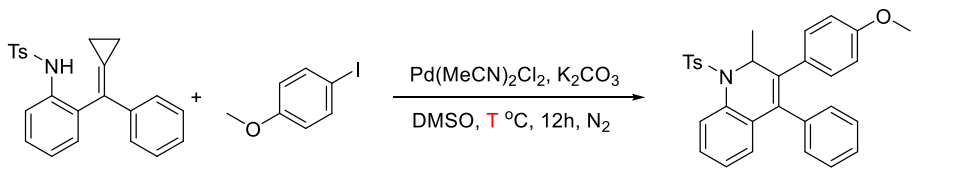
[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(OAc)₂ (10 mol%), L, K₂CO₃ (2.0 eq.), DMF (2 mL), N₂ atmosphere, 100 °C for 12 h. [b] Isolated yield.

Table S8. Screening of the Catalyst ^a

		
Entry	Catalyst	Yield (%) ^[b]
1	PdI ₂	45
2	Pd(acac) ₂	68
3	Pd(OAc) ₂	50
4	Pd(MeCN) ₂ Cl ₂	70
5	Pd(dba) ₂	52
6	Pd(dppf)Cl ₂	46

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), catalyst, K₂CO₃ (2.0 eq.), DMSO (2 mL), N₂ atmosphere, 100 °C for 12 h. [b] Isolated yield.

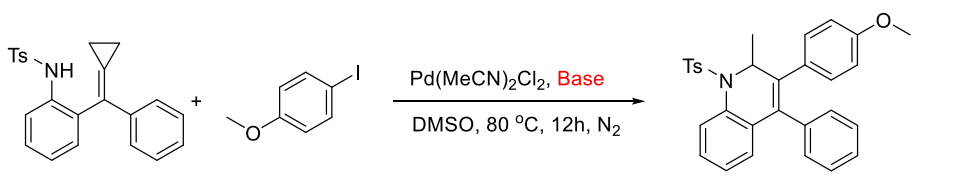
Table S9. Screening of reaction temperature ^a



Entry	Temp.	Yield (%) ^[b]
1	60 °C	67
2	80 °C	78
3	90 °C	73
4	100 °C	70

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(MeCN)₂Cl₂ (10 mol%), K₂CO₃ (2.0 eq.), DMSO (2 mL), N₂ atmosphere, T °C for 12 h. [b] Isolated yield.

Table 10. Screening of the Base ^a

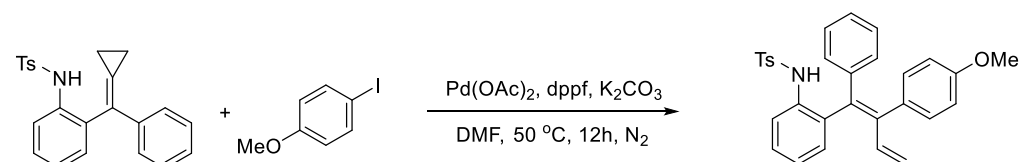


Entry	Base	Yield (%) ^[b]
1	DIPEA	86
2	<i>t</i> -BuOLi	ND
3	Na ₂ CO ₃	70
4	K ₂ CO ₃	78
5	<i>t</i> -BuOK	ND

[a] Reaction conditions: **1a** (0.2 mmol), **2f** (0.24 mmol), Pd(MeCN)₂Cl₂ (10 mol%), L, Base (2.0 eq.), DMF (2 mL), N₂ atmosphere, 80 °C for 12 h. [b] Isolated yield.

4. General Procedure

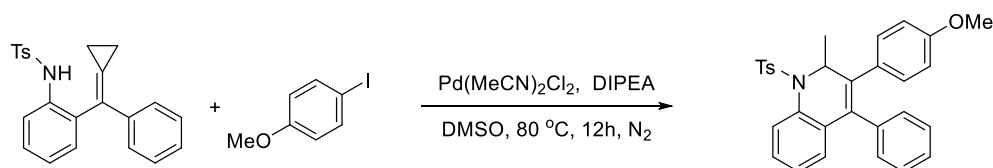
4.1 General Procedure A.



In a glove box, to an oven-dried 15 mL reaction tube which equipped with a magnetic stir bar was added **1** (0.2 mmol, 1 eq.), **2** (0.24 mmol, 1.2 eq.), Pd(OAc)₂ (10 mol%), dppf (10 mol%) K₂CO₃ (0.4 mmol, 2 eq.) DMF (2 mL). The reaction tube was then sealed with a screw-top septum cap, removed from the glove box and placed in a heating block that was preheated to 50 °C. After a time period of 8 h. The mixture was concentrated under reduced pressure and the residue was purified

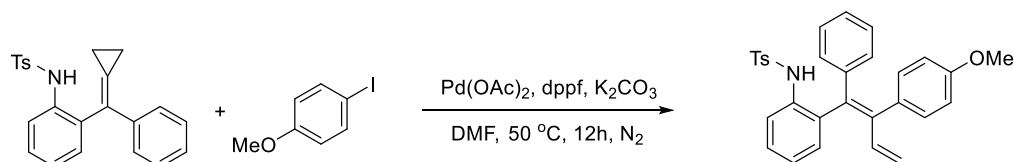
by flash chromatography on silica gel eluting with petroleum ether / EtOAc (v/v = 10:1 to 5:1) to afford the products **3**.

4.2 General Procedure B.



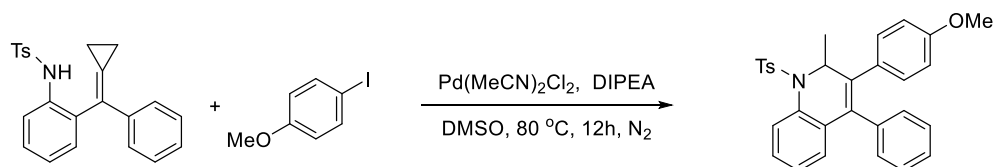
In a glove box, to an oven-dried 15 mL reaction tube which equipped with a magnetic stir bar was added **1** (0.2 mmol, 1 eq.), **2** (0.24 mmol, 1.2 eq.), Pd(MeCN)₂Cl₂ (10 mol%), DIPEA (0.4 mmol, 2 eq.) DMSO (2 mL). The reaction tube was then sealed with a screw-top septum cap, removed from the glove box and placed in a heating block that was preheated to 80 °C. After a time period of 12 h. The mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica gel eluting with petroleum ether / EtOAc (v/v = 10:1 to 5:1) to afford the products **4**.

4.3 A 1mmol synthesis of **3af**.



In a glove box, to an oven-dried 15 mL reaction tube which equipped with a magnetic stir bar was added **1a** (1 mmol, 1 eq.), **2f** (1.2 mmol, 1.2 eq.), Pd(OAc)₂ (10 mol%), dppf (10 mol%) K₂CO₃ (2 mmol, 2 eq.) DMF (10 mL). The reaction tube was then sealed with a screw-top septum cap, removed from the glove box and placed in a heating block that was preheated to 50 °C. After a time period of 8 h. The mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica gel eluting with petroleum ether / EtOAc (v/v = 10:1 to 5:1) to afford the products **3af** (385 mg, 80%) .

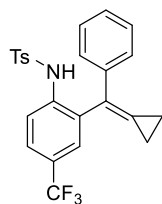
4.4 A 1mmol synthesis of **4af**.



In a glove box, to an oven-dried 15 mL reaction tube which equipped with a magnetic stir bar was added **1a** (1 mmol, 1 eq.), **2f** (1.2 mmol, 1.2 eq.), Pd(MeCN)₂Cl₂ (10 mol%), DIPEA (2 mmol, 2 eq.) DMSO (10 mL). The reaction tube was then sealed with a screw-top septum cap, removed from the glove box and placed in a heating block that was preheated to 80 °C. After a time period of 12 h. The mixture was concentrated under reduced pressure and the residue was purified by flash chromatography on silica gel eluting with petroleum ether / EtOAc (v/v = 10:1 to 5:1) to afford the products **4af** (409 mg, 85%).

5. Characterization Data of the Corresponding Products

Materials

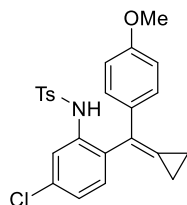


N-(2-(cyclopropylidene(phenyl)methyl)-4-(trifluoromethyl)phenyl)-4-methylbenzenesulfonamide (**1c**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **1c** was obtained as a white solid (80 mg, 90%), R_f =0.45 (PE/EA=10/1).

¹H NMR (400 MHz, Chloroform-*d*) δ 8.00 (d, J = 1.8 Hz, 1H), 7.47 – 7.41 (m, 2H), 7.35 (d, J = 1.8 Hz, 1H), 7.22 (dd, J = 20.9, 8.5 Hz, 4H), 7.15 – 7.08 (m, 4H), 6.60 (s, 1H), 2.38 (s, 3H), 1.59 – 1.57 (m, 2H), 0.99 – 0.92 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 144.1, 137.6, 135.8, 135.2, 131.2, 129.6, 128.9, 128.9, 127.9, 127.3, 126.2, 124.6, 121.2, 121.1, 117.3, 117.2, 21.5, 5.9, 1.7.

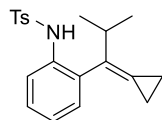


N-(5-chloro-2-(cyclopropylidene(4-methoxyphenyl)methyl)phenyl)-4-methylbenzenesulfonamide (**1e**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **1e** was obtained as a white solid (78 mg, 89%), R_f =0.40 (PE/EA=8/1).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.74 (d, J = 2.1 Hz, 1H), 7.46 (d, J = 8.3 Hz, 2H), 7.15 – 7.10 (m, 2H), 7.09 – 7.04 (m, 3H), 6.99 (d, J = 8.2 Hz, 1H), 6.77 – 6.72 (m, 2H), 6.52 (s, 1H), 3.82 (s, 3H), 2.38 (s, 3H), 1.55 – 1.45 (m, 2H), 0.94 – 0.83 (m, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 159.2, 143.9, 136.0, 135.6, 133.9, 131.6, 130.6, 130.6, 129.5, 127.5, 127.2, 125.8, 124.8, 124.1, 120.5, 114.1, 55.3, 21.6, 5.7, 1.6.

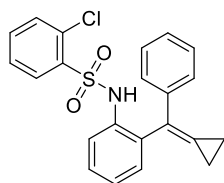


N-(2-(1-cyclopropylidene-2-methylpropyl)phenyl)-4-methylbenzenesulfonamide (**1h**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **1h** was obtained as a white solid (63 mg, 92%), R_f =0.58 (PE/EA=15/1).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.70 (d, J = 8.2 Hz, 2H), 7.61 (d, J = 8.3 Hz, 1H), 7.25 – 7.16 (m, 3H), 7.04 – 6.97 (m, 2H), 6.78 (s, 1H), 2.48 – 2.39 (m, 1H), 2.37 (s, 3H), 1.38 (td, J = 7.4, 1.4 Hz, 2H), 0.98 (d, J = 6.9 Hz, 6H), 0.80 (ddd, J = 9.2, 5.9, 1.4 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 144.2, 143.6, 139.7, 139.6, 135.9, 134.4, 130.8, 130.4, 129.30, 129.28, 129.0, 127.1, 124.9, 124.7, 124.4, 123.7, 122.1, 121.9, 121.6, 121.5, 29.7, 21.4, 6.4, 3.5.

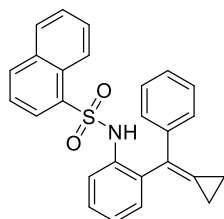


2-chloro-*N*-(2-(cyclopropylidene(phenyl)methyl)phenyl)benzenesulfonamide (**1m**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **1k** was obtained as a white solid (67 mg, 85%), R_f =0.43 (PE/EA=10/1).

¹H NMR (400 MHz, Chloroform-*d*) δ 7.97 (dd, J = 7.8, 1.7 Hz, 1H), 7.67 (d, J = 8.2 Hz, 1H), 7.39 – 7.34 (m, 1H), 7.32 – 7.20 (m, 9H), 7.16 – 7.05 (m, 2H), 7.01 (s, 1H), 1.67 – 1.61 (m, 2H), 0.98 – 0.92 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 138.5, 137.0, 134.2, 133.9, 132.7, 132.0, 131.5, 130.9, 128.7, 128.4, 127.6, 127.2, 127.0, 126.6, 125.7, 125.1, 121.7, 77.5, 77.2, 76.8, 29.8, 6.2, 1.7.



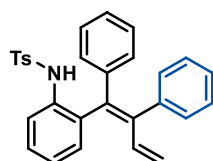
N-(2-(cyclopropylidene(phenyl)methyl)phenyl)naphthalene-1-sulfonamide (**1n**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **1l** was obtained as a white solid (72 mg, 87%), R_f =0.52 (PE/EA=15/1).

¹H NMR (400 MHz, Chloroform-*d*) δ 8.16 (d, J = 1.9 Hz, 1H), 7.87 – 7.77 (m, 3H), 7.72 (d, J = 8.7 Hz, 1H), 7.60 (dddd, J = 24.4, 8.1, 6.9, 1.4 Hz, 2H), 7.47 (dd, J = 8.7, 1.9 Hz, 1H), 7.33 (td, J = 7.8, 1.7 Hz, 1H), 7.16 – 7.00 (m, 7H), 6.54 (s, 1H), 1.41 – 1.32 (m, 2H), 0.81 – 0.68 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 138.5, 136.3, 135.0, 134.4, 132.8, 132.1, 130.9, 129.4, 129.03, 128.98, 128.7, 128.7, 128.6, 127.9, 127.89, 127.7, 127.6, 126.3, 125.6, 125.2, 122.5, 121.8, 5.7, 1.7.

Products



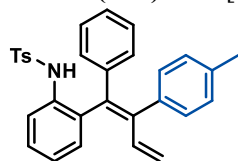
(*E*)-*N*-(2-(1,2-Diphenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3aa**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3aa** was obtained as a white solid (78 mg, 87%), R_f =0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.33 – 7.27 (m, 6H), 7.14 – 7.06 (m, 7H), 7.03 – 6.96 (m, 3H), 6.91 – 6.82 (m, 2H), 6.74 (td, J = 7.5, 1.2 Hz, 1H), 6.69 (s, 1H), 5.32 (dd, J = 10.8, 1.5 Hz, 1H), 5.03 (dd, J = 17.3, 1.5 Hz, 1H), 2.35 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 137.1, 136.3, 134.5, 132.5, 132.2, 130.4, 130.3, 129.6, 128.5, 128.1, 127.9, 127.3, 127.3, 123.3, 120.7, 118.0, 77.4, 77.1, 76.7, 21.6.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{29}H_{26}NO_2S^+$ 452.1679; Found 452.1671.



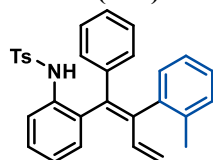
(*E*)-4-Methyl-*N*-(2-(1-phenyl-2-(*p*-tolyl)buta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3ab**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ab** was obtained as a white solid (88 mg, 95%), R_f =0.48 (PE/EA=10/1).

1H NMR (500 MHz, $CDCl_3$) δ 7.34 – 7.26 (m, 6H), 7.09 (d, J = 8.0 Hz, 2H), 7.05 – 7.03 (m, 2H), 7.02 – 6.98 (m, 1H), 6.95 – 6.90 (m, 4H), 6.87 – 6.82 (m, 2H), 6.77 (td, J = 7.5, 1.1 Hz, 1H), 6.71 (s, 1H), 5.30 (dd, J = 10.8, 1.5 Hz, 1H), 5.05 (dd, J = 17.3, 1.5 Hz, 1H), 2.35 (s, 3H), 2.25 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 143.6, 142.5, 140.2, 137.3, 136.9, 136.4, 135.7, 134.2, 132.9, 132.3, 130.4, 129.6, 128.7, 128.4, 127.9, 127.8, 127.3, 123.4, 120.4, 118.3, 21.6, 21.3.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{30}H_{28}NO_2S^+$ 466.1835; Found 466.1827.



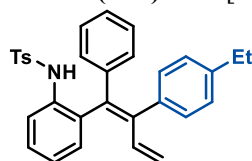
(*E*)-4-methyl-*N*-(2-(1-phenyl-2-(*o*-tolyl)buta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3ac**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ac** was obtained as a white solid (87 mg, 94%, E/Z = 8:1), R_f =0.48 (PE/EA=10/1).

1H NMR (500 MHz, $CDCl_3$) δ 7.37 – 7.28 (m, 4H), 7.26 – 7.23 (m, 2H), 7.21 – 7.17 (m, 2H), 7.08 – 7.03 (m, 4H), 6.99 – 6.85 (m, 5H), 6.75 – 6.69 (m, 2H), 5.25 (dd, J = 10.6, 1.6 Hz, 1H), 4.81 (dd, J = 17.2, 1.6 Hz, 1H), 2.34 (s, 3H), 2.23 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 143.6, 136.1, 136.1, 135.9, 134.4, 130.3, 129.9, 129.7, 129.5, 129.3, 128.6, 128.2, 128.0, 127.9, 127.9, 127.4, 127.3, 127.3, 127.2, 122.9, 119.9, 117.3, 21.5, 20.21.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{30}H_{28}NO_2S^+$ 466.1835; Found 466.1827.



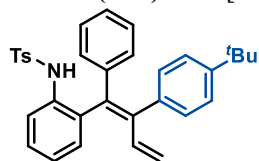
(*E*)-*N*-(2-(2-(4-Ethylphenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ad**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ad** was obtained as a white solid (76mg, 79%), R_f =0.48 (PE/EA=10/1).

1H NMR (500 MHz, $CDCl_3$) δ 7.35 – 7.28 (m, 5H), 7.07 (dd, J = 20.7, 7.3 Hz, 5H), 7.03 – 6.90 (m, 6H), 6.87 – 6.80 (m, 2H), 6.70 (s, 1H), 5.30 (d, J = 10.7 Hz, 1H), 5.06 (d, J = 17.3 Hz, 1H), 2.55 (q, J = 7.6 Hz, 2H), 2.35 (s, 3H), 1.17 (t, J = 7.6 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 143.6, 143.3, 142.6, 140.3, 137.3, 136.39, 136.36, 135.9, 134.3, 132.9, 132.3, 130.4, 130.4, 129.6, 128.4, 127.9, 127.8, 127.4, 127.3, 123.4, 120.5, 118.3, 28.5, 21.6, 15.2.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{31}H_{30}NO_2S^+$ 480.1992; Found 480.1998.



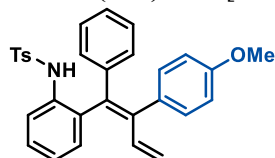
(*E*)-*N*-(2-(2-(4-(Tert-butyl)phenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ae**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ae** was obtained as a white solid (95mg, 94%), R_f =0.48 (PE/EA=10/1).

1H NMR (400 MHz, $CDCl_3$) δ 7.37 – 7.27 (m, 6H), 7.13 – 7.04 (m, 6H), 6.99 (ddd, J = 8.5, 7.2, 1.7 Hz, 1H), 6.94 – 6.90 (m, 2H), 6.89 – 6.79 (m, 2H), 6.74 (td, J = 7.4, 1.2 Hz, 1H), 6.69 (s, 1H), 5.30 (dd, J = 10.7, 1.6 Hz, 1H), 5.08 (dd, J = 17.3, 1.6 Hz, 1H), 2.35 (s, 3H), 1.24 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 150.2, 143.5, 142.6, 140.2, 137.3, 136.5, 136.4, 135.7, 134.3, 133.0, 132.3, 130.4, 130.1, 129.6, 128.4, 127.9, 127.8, 127.3, 124.8, 123.4, 120.5, 118.4, 34.5, 31.3, 21.6.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{33}H_{34}NO_2S^+$ 508.2305; Found 508.2296.



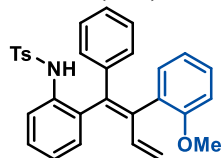
(*E*)-*N*-(2-(2-(4-Methoxyphenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamid (**3af**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3af** was obtained as a white solid (80 mg, 82%), R_f =0.48 (PE/EA=10/1).

1H NMR (500 MHz, $CDCl_3$) δ 7.35 – 7.26 (m, 6H), 7.12 – 7.07 (m, 2H), 7.05 – 6.95 (m, 5H), 6.88 – 6.75 (m, 3H), 6.71 (s, 1H), 6.69 – 6.65 (m, 2H), 5.31 (dd, J = 10.8, 1.6 Hz, 1H), 5.08 (dd, J = 17.2, 1.6 Hz, 1H), 3.74 (s, 3H), 2.35 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 158.7, 143.6, 142.2, 140.3, 137.4, 136.4, 136.3, 134.2, 132.9, 132.3, 132.3, 131.8, 130.9, 130.4, 130.2, 129.7, 129.6, 128.4, 128.1, 127.9, 127.8, 127.3, 127.3, 123.5, 120.3, 118.3, 113.6, 113.4, 55.1, 21.5.

HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{30}H_{28}NO_3S^+$ 482.1784; Found 482.1776.



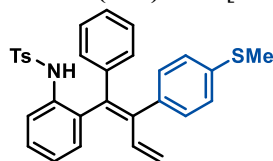
(*E*)-*N*-(2-(2-(2-methoxyphenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamid (**3ag**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ag** was obtained as a white solid (72mg, 75%), R_f =0.48 (PE/EA=10/1).

1H NMR (400 MHz, $CDCl_3$) δ 7.53 (s, 1H), 7.36 (d, J = 7.1 Hz, 3H), 7.26 – 7.10 (m, 4H), 7.10 – 7.01 (m, 2H), 7.00 – 6.72 (m, 9H), 5.24 – 5.12 (m, 1H), 4.82 (d, J = 17.2 Hz, 1H), 3.87 (s, 3H), 2.34 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 136.2, 134.4, 132.4, 131.5, 130.3, 129.5, 128.8, 127.9, 127.7, 127.4, 127.2, 123.2, 120.4, 118.9, 118.1, 110.6, 55.5, 26.9, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₈NO₃S⁺ 482.1784; Found 482.1776.



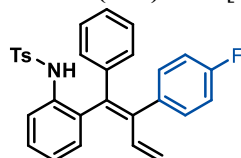
(*E*)-4-methyl-*N*-(2-(2-(4-(methylthio)phenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3ai**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ai** was obtained as a white solid (95 mg, 95 %), R_f=0.62(PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.31 – 7.23 (m, 6H), 7.09 – 7.03 (m, 4H), 7.00 – 6.94 (m, 3H), 6.91 (d, *J* = 8.4 Hz, 2H), 6.85 – 6.77 (m, 2H), 6.74 (td, *J* = 7.5, 1.1 Hz, 1H), 6.66 (s, 1H), 5.28 (dd, *J* = 10.7, 1.5 Hz, 1H), 5.03 (dd, *J* = 17.3, 1.5 Hz, 1H), 2.39 (s, 3H), 2.32 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.6, 142.0, 139.9, 137.6, 137.1, 136.6, 136.3, 135.4, 134.4, 132.5, 132.2, 130.9, 130.30, 129.6, 128.5, 128.2, 127.9, 127.3, 125.6, 123.5, 120.5, 118.1, 29.7, 21.6, 15.3.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₈NO₂S₂⁺ 489.1556; Found 489.1546.



(*E*)-*N*-(2-(2-(4-Fluorophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3aj**)

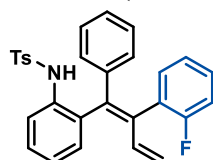
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3aj** was obtained as a white solid (83 mg, 88%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.32 (dd, *J* = 9.9, 7.6 Hz, 6H), 7.14 – 7.08 (m, 4H), 7.03 – 6.94 (m, 3H), 6.90 – 6.74 (m, 5H), 6.66 (s, 1H), 5.33 (dd, *J* = 10.7, 1.4 Hz, 1H), 5.02 (dd, *J* = 17.3, 1.4 Hz, 1H), 2.35 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 162.8, 160.8, 143.7, 141.8, 139.6, 137.1, 136.9, 136.2, 134.8, 134.7, 134.6, 132.2, 132.1, 132.2, 130.2, 129.6, 128.6, 128.2, 128.1, 127.3, 123.4, 120.7, 117.9, 115.0, 114.8, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₅FNO₂S⁺ 470.1585; Found 470.1576.

¹⁹F NMR (377 MHz, CDCl₃) δ -114.41 – -114.48 (m).



(*E*)-*N*-(2-(2-(2-Fluorophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ak**)

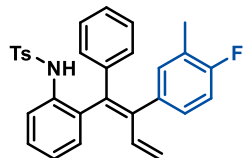
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ak** was obtained as a white solid (83 mg, 88%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.38 – 7.27 (m, 6H), 7.18 (d, *J* = 7.3 Hz, 2H), 7.14 – 7.09 (m, 1H), 7.07 (d, *J* = 8.1 Hz, 2H), 7.01 – 6.88 (m, 6H), 6.76 (td, *J* = 7.6, 1.2 Hz, 2H), 5.28 (dd, *J* = 10.6, 1.3 Hz, 1H), 4.92 (dt, *J* = 17.2, 1.2 Hz, 1H), 2.34 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.7, 139.9, 138.7, 136.9, 136.9, 136.9, 136.5, 134.3, 133.3, 131.9, 130.3, 129.6, 129.4, 128.4, 128.2, 127.9, 127.3, 125.9, 124.7, 123.8, 120.1, 118.9, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₅FNO₂S⁺ 470.1585; Found 470.1576.

¹⁹F NMR (377 MHz, CDCl₃) δ -113.09.



(*E*)-*N*-(2-(2-(4-Fluoro-3-methylphenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3al**)

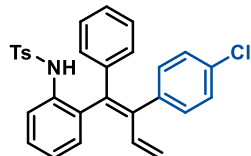
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3al** was obtained as a white solid (86 mg, 89%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.35 – 7.27 (m, 6H), 7.09 (dd, *J* = 8.0, 1.4 Hz, 4H), 7.02 (ddd, *J* = 8.5, 7.3, 1.7 Hz, 1H), 6.91 (t, *J* = 7.9 Hz, 1H), 6.86 – 6.81 (m, 2H), 6.81 – 6.75 (m, 1H), 6.71 – 6.64 (m, 3H), 5.32 (dd, *J* = 10.7, 1.4 Hz, 1H), 5.04 (dd, *J* = 17.3, 1.5 Hz, 1H), 2.35 (s, 3H), 2.17 (d, *J* = 1.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.7, 141.5, 139.7, 136.9, 136.8, 136.2, 134.5, 132.2, 132.0, 130.94(d, *J* = 21.76 Hz), 130.2, 130.0, 129.6, 128.9, 128.5, 128.3, 128.1, 128.1, 127.3, 127.3, 125.9, 125.9, 123.4, 120.7, 118.0, 117.0, 116.8, 21.61 (d, *J* = 63.24 Hz), 14.37 (d, *J* = 13.56 Hz)

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₇FNO₂S⁺ 484.1741; Found 484.1738.

¹⁹F NMR (471 MHz, CDCl₃) δ -117.89 – -117.97 (m).



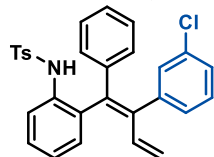
(*E*)-*N*-(2-(2-(4-chlorophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3am**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3am** was obtained as a white solid (89 mg, 92%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.32 (ddd, *J* = 10.4, 5.9, 3.2 Hz, 6H), 7.14 – 7.06 (m, 6H), 7.02 (ddd, *J* = 8.5, 7.3, 1.8 Hz, 1H), 6.93 (d, *J* = 8.4 Hz, 2H), 6.88 – 6.74 (m, 3H), 6.64 (s, 1H), 5.33 (dd, *J* = 10.8, 1.4 Hz, 1H), 5.01 (dd, *J* = 17.3, 1.3 Hz, 1H), 2.36 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.8, 141.6, 139.6, 137.4, 137.2, 136.9, 136.2, 134.6, 133.1, 132.1, 132.0, 131.8, 130.2, 129.6, 128.6, 128.4, 128.2, 127.3, 123.4, 120.8, 117.9, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₅ClNO₂S⁺ 486.1289; Found 486.1287.



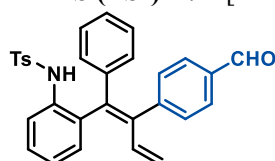
(*E*)-*N*-(2-(2-(3-chlorophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3an**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3an** was obtained as a white solid (82 mg, 85%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.38 – 7.28 (m, 6H), 7.18 – 7.13 (m, 2H), 7.12 – 7.07 (m, 4H), 7.02 (q, *J* = 7.5 Hz, 2H), 6.89 – 6.82 (m, 3H), 6.77 (td, *J* = 7.5, 1.1 Hz, 1H), 6.63 (s, 1H), 5.35 (dd, *J* = 10.7, 1.3 Hz, 1H), 5.03 (dd, *J* = 17.3, 1.3 Hz, 1H), 2.35 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.7, 141.5, 140.9, 139.4, 137.4, 136.6, 136.2, 134.7, 133.6, 131.9, 131.9, 130.4, 130.2, 129.6, 129.4, 128.7, 128.6, 128.4, 128.3, 127.4, 127.3, 123.4, 120.9, 117.9, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₅ClNO₂S⁺ 486.1289; Found 486.1287.



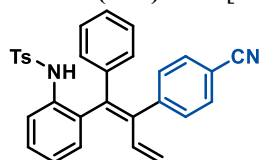
(*E*)-*N*-(2-(2-(4-formylphenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ao**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ao** was obtained as a white solid (91 mg, 95%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 9.90 (s, 1H), 7.62 (d, *J* = 8.1 Hz, 2H), 7.38 – 7.28 (m, 6H), 7.17 (dd, *J* = 8.0, 1.9 Hz, 4H), 7.10 (d, *J* = 8.0 Hz, 2H), 7.04 – 6.96 (m, 1H), 6.89 (dd, *J* = 17.3, 10.8 Hz, 1H), 6.80 (dd, *J* = 7.6, 1.7 Hz, 1H), 6.75 – 6.70 (m, 1H), 6.62 (s, 1H), 5.36 (dd, *J* = 10.7, 1.2 Hz, 1H), 4.97 (dd, *J* = 17.4, 1.2 Hz, 1H), 2.36 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 191.8, 145.9, 143.8, 141.8, 139.1, 137.81, 136.6, 136.1, 134.9, 134.8, 132.0, 131.7, 131.1, 130.2, 129.6, 129.3, 128.7, 128.6, 128.4, 127.3, 123.4, 121.1, 117.9, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₆NO₃S⁺ 480.1628; Found 480.1619.



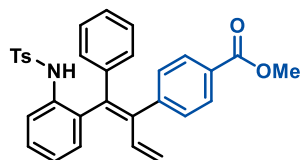
(*E*)-*N*-(2-(2-(4-cyanophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ap**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ap** was obtained as a white solid (86 mg, 90%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.41 – 7.29 (m, 8H), 7.21 – 7.15 (m, 2H), 7.12 – 7.08 (m, 4H), 7.03 (ddd, *J* = 8.6, 6.9, 2.1 Hz, 1H), 6.87 (dd, *J* = 17.4, 10.8 Hz, 1H), 6.79 – 6.72 (m, 2H), 6.57 (s, 1H), 5.37 (dd, *J* = 10.8, 1.1 Hz, 1H), 4.94 (dd, *J* = 17.4, 1.1 Hz, 1H), 2.36 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 144.4, 143.9, 141.3, 138.9, 138.2, 136.4, 136.1, 134.9, 131.9, 131.7, 131.5, 131.2, 130.2, 129.6, 128.84, 128.79, 128.6, 127.3, 123.5, 121.2, 118.8, 117.9, 110.9, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₅N₂O₂S⁺ 477.1631; Found 477.1621.



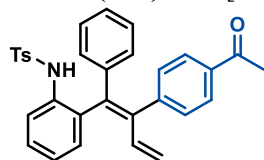
Methyl(*E*)-4-(1-(2-((4-methylphenyl)sulfonamido)phenyl)-1-phenylbuta-1,3-dien-2-yl)benzoate (**3aq**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3aq** was obtained as a white solid (93 mg, 92%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.79 (d, *J* = 8.0 Hz, 2H), 7.49 – 7.28 (m, 7H), 7.16 – 7.10 (m, 4H), 7.03 (d, *J* = 8.0 Hz, 2H), 6.85 (dd, *J* = 17.3, 10.8 Hz, 1H), 6.75 – 6.66 (m, 2H), 6.64 (s, 1H), 5.36 (d, *J* = 10.8 Hz, 1H), 4.97 (d, *J* = 17.3 Hz, 1H), 3.89 (s, 3H), 2.38 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 166.8, 144.2, 143.8, 142.6, 138.8, 136.5, 136.3, 135.8, 135.7, 134.1, 132.9, 130.3, 130.2, 130.1, 129.7, 129.3, 129.0, 128.8, 128.5, 127.3, 123.5, 121.5, 117.8, 52.2, 26.9, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₁H₂₈NO₄S⁺ 510.1734 Found 510.1725.



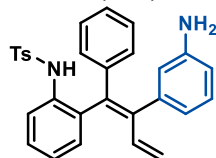
(*E*)-*N*-(2-(2-(4-acetylphenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ar**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ar** was obtained as a white solid (92 mg, 93%), R_f=0.48 (PE/EA=10/1).

¹H NMR (400 MHz, CDCl₃) δ 7.76 – 7.69 (m, 2H), 7.36 – 7.22 (m, 8H), 7.18 – 7.13 (m, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 7.01 – 6.87 (m, 2H), 6.84 (dd, *J* = 7.7, 1.6 Hz, 1H), 6.76 – 6.69 (m, 2H), 5.36 (dd, *J* = 10.8, 1.3 Hz, 1H), 5.02 (dd, *J* = 17.3, 1.3 Hz, 1H), 2.47 (s, 3H), 2.34 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 198.1, 143.7, 142.0, 139.4, 139.4, 137.3, 136.8, 136.7, 136.1, 135.0, 134.7, 131.98, 131.96, 130.9, 130.2, 129.6, 128.7, 128.4, 128.3, 128.2, 127.2, 127.0, 123.4, 121.0, 117.6, 26.7, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₁H₂₈NO₃S⁺ 494.1784 Found 494.1775.



(*E*)-*N*-(2-(2-(3-aminophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3as**)

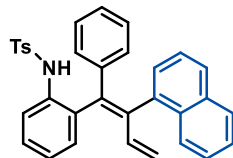
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3as** was obtained as a white solid (81mg, 87%), R_f=0.48 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.34 (d, *J* = 8.4 Hz, 2H), 7.33 – 7.23 (m, 4H), 7.12 – 7.04 (m, 4H), 6.99 (ddd, *J* = 8.4, 7.3, 1.6 Hz, 1H), 6.93 (t, *J* = 7.7 Hz, 1H), 6.88 (dd, *J* = 7.7, 1.7 Hz, 1H), 6.86 –

6.76 (m, 2H), 6.73 (s, 1H), 6.52 – 6.42 (m, 3H), 5.31 (dd, $J = 10.8, 1.6$ Hz, 1H), 5.12 (dd, $J = 17.2, 1.6$ Hz, 1H), 3.09 (s, 2H), 2.34 (s, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 145.5, 143.6, 142.7, 140.1, 139.9, 136.9, 136.5, 136.4, 134.3, 132.9, 132.1, 130.4, 129.6, 128.9, 128.4, 128.1, 127.8, 127.3, 123.4, 121.3, 120.6, 118.3, 117.4, 114.5, 21.5.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{29}\text{H}_{27}\text{N}_2\text{O}_2\text{S}^+$ 467.1788 Found 467.1781.



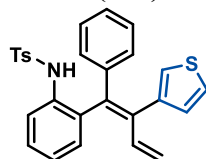
(*E*)-4-methyl-*N*-(2-(2-(naphthalen-1-yl)-1-phenylbuta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3at**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3at** was obtained as a white solid (87 mg, 87%), R_f =0.48 (PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 7.87 – 7.71 (m, 2H), 7.64 (s, 1H), 7.47 – 7.29 (m, 8H), 7.25 – 7.17 (m, 3H), 7.11 – 7.01 (m, 4H), 6.89 – 6.73 (m, 3H), 6.45 (s, 1H), 5.23 (dd, $J = 10.6, 1.5$ Hz, 1H), 4.71 (dd, $J = 17.2, 1.4$ Hz, 1H), 2.34 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 143.54, 143.50, 138.5, 134.5, 133.4, 132.3, 131.8, 130.7, 130.3, 129.5, 129.36, 129.0, 128.4, 128.1, 127.8, 127.6, 127.3, 127.2, 126.4, 125.5, 124.8, 122.8, 121.0, 117.2, 21.5.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{33}\text{H}_{28}\text{NO}_2\text{S}^+$ 502.1835; Found 502.1829.



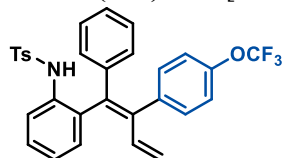
(*E*)-4-methyl-*N*-(2-(1-phenyl-2-(thiophen-3-yl)buta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3au**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3au** was obtained as a white solid (81 mg, 89%), R_f =0.52 (PE/EA=10/1).

^1H NMR (400 MHz, CDCl_3) δ 7.42 – 7.36 (m, 3H), 7.32 – 7.26 (m, 3H), 7.16 – 7.02 (m, 6H), 6.89 – 6.73 (m, 5H), 6.66 (s, 1H), 5.35 (dd, $J = 10.8, 1.5$ Hz, 1H), 5.26 (dd, $J = 17.2, 1.5$ Hz, 1H), 2.37 (s, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 143.7, 139.9, 138.7, 136.9, 136.9, 136.8, 136.5, 134.3, 133.3, 131.8, 130.3, 129.6, 129.5, 128.4, 128.2, 127.9, 127.3, 125.9, 124.7, 123.7, 120.1, 118.9, 21.5.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_2\text{S}_2^+$ 458.1243 Found 458.1238.



(*E*)-4-methyl-*N*-(2-(1-phenyl-2-(4-(trifluoromethoxy)phenyl)buta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3av**)

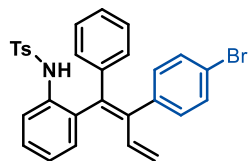
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3av** was obtained as a white solid (99 mg, 92%), R_f=0.56 (PE/EA=10/1).

¹H NMR (400 MHz, CDCl₃) δ 7.39 – 7.30 (m, 6H), 7.20 – 7.13 (m, 2H), 7.10 (d, *J* = 8.1 Hz, 2H), 7.05 – 6.98 (m, 3H), 6.97 – 6.84 (m, 3H), 6.84 – 6.71 (m, 2H), 6.65 (s, 1H), 5.35 (dd, *J* = 10.8, 1.4 Hz, 1H), 5.02 (dd, *J* = 17.3, 1.4 Hz, 1H), 2.35 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 148.2, 148.1, 143.8, 141.5, 139.4, 137.6, 137.4, 136.8, 136.2, 134.7, 132.0, 131.9, 131.9, 130.2, 129.6, 128.7, 128.4, 128.3, 127.3, 123.4, 120.8, 120.1, 117.9, 26.9, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₅FNO₃S⁺ 536.1502 Found 536.1496.

¹⁹F NMR (377 MHz, CDCl₃) δ -58.00.

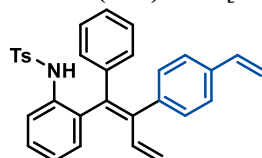


(*E*)-*N*-(2-(2-(4-bromophenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3aw**)

¹H NMR (500 MHz, CDCl₃) δ 7.32 (ddt, *J* = 10.7, 8.7, 2.9 Hz, 6H), 7.24 (d, *J* = 8.4 Hz, 2H), 7.15 – 7.09 (m, 4H), 7.02 (ddd, *J* = 8.6, 7.3, 1.8 Hz, 1H), 6.89 – 6.80 (m, 4H), 6.77 (td, *J* = 7.5, 1.1 Hz, 1H), 6.64 (s, 1H), 5.33 (dd, *J* = 10.7, 1.4 Hz, 1H), 5.01 (dd, *J* = 17.3, 1.3 Hz, 1H), 2.36 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.7, 141.6, 139.5, 137.9, 137.1, 136.8, 136.2, 134.5, 132.1, 132.0, 131.9, 131.1, 130.2, 129.6, 128.6, 128.4, 128.2, 127.2, 123.4, 121.3, 120.8, 117.8, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₅BrNO₂S⁺ 531.4801 Found 531.4806.



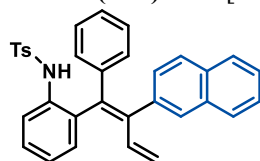
(*E*)-4-methyl-*N*-(2-(1-phenyl-2-(4-vinylphenyl)buta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3ax**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ax** was obtained as a white solid (91 mg, 95%), R_f=0.62(PE/EA=10/1).

¹H NMR (400 MHz, CDCl₃) δ 7.76 – 7.65 (m, 2H), 7.63 – 7.57 (m, 2H), 7.45 – 7.40 (m, 2H), 7.36 – 7.26 (m, 5H), 7.22 (d, *J* = 8.1 Hz, 1H), 7.16 (td, *J* = 8.0, 1.7 Hz, 3H), 7.02 (d, *J* = 8.1 Hz, 2H), 6.96 – 6.87 (m, 3H), 6.79 (s, 1H), 6.70 (td, *J* = 7.5, 1.1 Hz, 1H), 5.36 (dd, *J* = 10.7, 1.5 Hz, 1H), 5.06 (dd, *J* = 17.3, 1.4 Hz, 1H), 2.33 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.6, 142.3, 140.0, 138.5, 137.1, 136.7, 136.5, 136.4, 136.3, 134.4, 132.5, 132.2, 130.7, 130.3, 129.6, 128.5, 128.2, 128.0, 127.3, 125.8, 123.4, 120.6, 118.1, 113.9, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₁H₂₈NO₂S⁺ 478.1835; Found 478.1837.



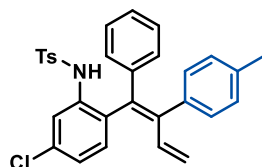
(*E*)-4-methyl-*N*-(2-(2-(naphthalen-2-yl)-1-phenylbuta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3az**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3az** was obtained as a white solid (92 mg, 91 %), R_f=0.62(PE/EA=10/1).

¹H NMR (400 MHz, CDCl₃) δ 7.76 – 7.65 (m, 2H), 7.63 – 7.57 (m, 2H), 7.45 – 7.40 (m, 2H), 7.36 – 7.26 (m, 5H), 7.22 (d, *J* = 8.1 Hz, 1H), 7.16 (td, *J* = 8.0, 1.7 Hz, 3H), 7.02 (d, *J* = 8.1 Hz, 2H), 6.96 – 6.87 (m, 3H), 6.79 (s, 1H), 6.70 (td, *J* = 7.5, 1.1 Hz, 1H), 5.36 (dd, *J* = 10.7, 1.5 Hz, 1H), 5.06 (dd, *J* = 17.3, 1.4 Hz, 1H), 2.33 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.6, 142.5, 140.0, 137.2, 137.1, 136.5, 136.3, 134.4, 133.0, 132.4, 132.4, 132.2, 130.3, 129.7, 129.5, 128.52, 128.47, 128.2, 128.1, 128.0, 127.54, 127.45, 127.2, 126.0, 125.9, 123.4, 120.8, 117.9, 21.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₃H₂₈NO₂S⁺ 502.1835 Found 502.1829.



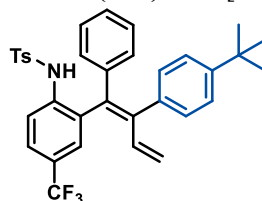
(*E*)-*N*-(5-chloro-2-(1-phenyl-2-(p-tolyl)buta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3bb**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3bb** was obtained as a white solid (92mg, 92%), R_f=0.57 (PE/EA=10/1).

¹H NMR (400 MHz, CDCl₃) δ 7.39 (d, *J* = 1.9 Hz, 1H), 7.35 – 7.25 (m, 5H), 7.12 (d, *J* = 8.1 Hz, 2H), 7.04 (dt, *J* = 6.7, 1.6 Hz, 2H), 6.96 (d, *J* = 7.9 Hz, 2H), 6.91 – 6.74 (m, 5H), 6.72 (d, *J* = 1.5 Hz, 1H), 5.32 (dd, *J* = 10.7, 1.5 Hz, 1H), 5.06 (dd, *J* = 17.3, 1.6 Hz, 1H), 2.37 (s, 3H), 2.27 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.9, 143.2, 139.7, 137.2, 137.1, 135.9, 135.5, 135.4, 135.2, 133.6, 133.8, 131.6, 130.3, 130.2, 129.7, 128.9, 128.6, 128.0, 127.3, 123.6, 120.9, 118.6, 26.9, 21.6, 21.3.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₇ClNO₂S⁺ 500.1446 Found 500.1439.



(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-phenylbuta-1,3-dien-1-yl)-4-(trifluoromethyl)phenyl)-4-methylbenzenesulfonamide (**3ce**)

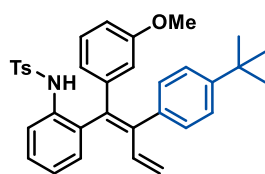
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ce** was obtained as a white solid (107mg, 93%), R_f=0.54 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.65 – 7.59 (m, 1H), 7.37 – 7.28 (m, 5H), 7.14 – 7.05 (m, 6H), 6.96 (dd, *J* = 7.8, 1.6 Hz, 1H), 6.92 – 6.81 (m, 4H), 6.79 (s, 1H), 5.37 (dd, *J* = 10.7, 1.5 Hz, 1H), 5.12 (dd, *J* = 17.3, 1.5 Hz, 1H), 2.35 (s, 3H), 1.23 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 150.7, 144.1, 143.9, 139.2, 136.7, 135.7, 135.2, 135.1, 134.9, 132.7, 130.7, 130.3, 130.1, 129.9, 129.7, 128.7, 128.2, 127.4, 127.3, 124.9, 121.7, 119.7, 114.8, 34.5, 31.2, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₄H₃₃F₃NO₂S⁺ 576.2179 Found 576.2175.

^{19}F NMR (377 MHz, CDCl_3) δ -62.89.



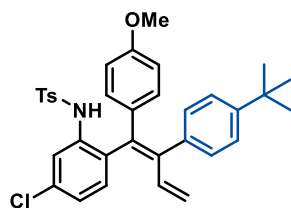
(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-(3-methoxyphenyl)buta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3de**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3de** was obtained as a white solid (93mg, 87%), R_f =0.51 (PE/EA=10/1).

^1H NMR (400 MHz, CDCl_3) δ 7.33 (dd, J = 8.5, 2.0 Hz, 3H), 7.22 (d, J = 7.9 Hz, 1H), 7.13 – 7.05 (m, 4H), 6.98 (td, J = 8.3, 7.8, 1.7 Hz, 1H), 6.91 – 6.68 (m, 8H), 6.58 (t, J = 2.1 Hz, 1H), 5.30 (dd, J = 10.7, 1.7 Hz, 1H), 5.06 (dd, J = 17.3, 1.6 Hz, 1H), 3.70 (s, 3H), 2.34 (s, 3H), 1.23 (s, 9H).

^{13}C NMR (101 MHz, CDCl_3) δ 159.6, 150.1, 143.5, 142.9, 141.5, 137.3, 136.3, 136.2, 135.7, 134.6, 132.7, 132.2, 130.1, 129.5, 129.4, 127.9, 127.3, 124.7, 123.8, 122.9, 120.5, 118.1, 115.4, 113.8, 55.2, 34.4, 31.2, 21.5.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{34}\text{H}_{36}\text{NO}_3\text{S}^+$ 538.2410 Found 538.2416.



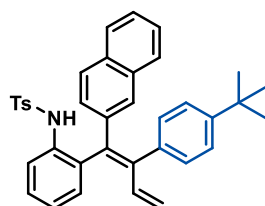
(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-(4-methoxyphenyl)buta-1,3-dien-1-yl)-5-chlorophenyl)-4-methylbenzenesulfonamide (**3ee**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ee** was obtained as a white solid (103 mg, 90 %), R_f =0.62(PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 7.42 (t, J = 1.2 Hz, 1H), 7.37 (d, J = 8.3 Hz, 2H), 7.12 (t, J = 7.8 Hz, 4H), 6.94 (d, J = 8.7 Hz, 2H), 6.88 – 6.82 (m, 3H), 6.78 (d, J = 8.7 Hz, 2H), 6.75 – 6.67 (m, 3H), 5.30 (dd, J = 10.8, 1.6 Hz, 1H), 5.05 (dd, J = 17.3, 1.6 Hz, 1H), 3.86 (s, 3H), 2.37 (s, 3H), 1.25 (s, 9H).

^{13}C NMR (126 MHz, CDCl_3) δ 159.4, 150.3, 143.9, 142.4, 137.3, 136.0, 135.7, 135.6, 134.8, 133.4, 133.2, 131.9, 131.6, 131.5, 130.0, 129.6, 127.3, 124.9, 123.4, 120.5, 118.3, 113.9, 55.3, 34.5, 31.3, 21.6.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{34}\text{H}_{35}\text{ClNO}_3\text{S}^+$ 572.2021 Found 572.2017.



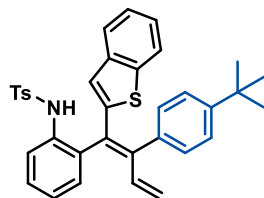
(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-(naphthalen-2-yl)buta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3fe**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3fe** was obtained as a white solid (100 mg, 90 %, E/Z = 3:1), R_f=0.43 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.88 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.72 (dd, *J* = 8.4, 2.9 Hz, 2H), 7.54 (ddd, *J* = 6.3, 3.9, 1.5 Hz, 2H), 7.46 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.27 – 7.24 (m, 1H), 7.20 – 7.17 (m, 3H), 7.13 (d, *J* = 8.3 Hz, 2H), 7.04 – 7.00 (m, 2H), 6.95 – 6.88 (m, 2H), 6.82 – 6.79 (m, 2H), 6.78 (d, *J* = 8.0 Hz, 1H), 6.71 – 6.65 (m, 2H), 5.36 (dd, *J* = 10.7, 1.6 Hz, 1H), 5.15 (dd, *J* = 17.2, 1.5 Hz, 1H), 2.20 (s, 3H), 1.28 (s, 9H).

¹³C NMR (126 MHz, CDCl₃) δ 150.2, 143.31, 143.29, 137.6, 137.2, 136.3, 135.9, 135.8, 134.7, 133.2, 132.9, 132.8, 132.3, 130.9, 130.0, 129.5, 129.4, 129.2, 128.3, 128.1, 127.9, 127.9, 127.6, 127.0, 126.9, 126.6, 126.4, 125.1, 124.8, 123.4, 120.8, 118.3, 34.5, 31.3, 21.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₇H₃₆NO₂S⁺ 558.2461 Found 558.2465.



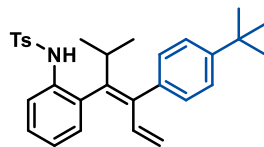
(*E*)-*N*-(2-(1-(benzo[*b*]thiophen-2-yl)-2-(4-(tert-butyl)phenyl)buta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3ge**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ge** was obtained as a white solid (103 mg, 91 %, E/Z = 6:1), R_f=0.49 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.85 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.69 (dd, *J* = 8.3, 3.2 Hz, 2H), 7.51 (td, *J* = 3.7, 3.1, 1.4 Hz, 2H), 7.18 – 7.14 (m, 3H), 7.10 (d, *J* = 8.3 Hz, 2H), 7.01 – 6.98 (m, 2H), 6.93 – 6.85 (m, 2H), 6.79 – 6.73 (m, 2H), 6.66 (d, *J* = 8.0 Hz, 2H), 5.33 (dd, *J* = 10.7, 1.6 Hz, 1H), 5.12 (dd, *J* = 17.2, 1.6 Hz, 1H), 2.17 (s, 3H), 1.25 (s, 9H).

¹³C NMR (126 MHz, CDCl₃) δ 150.2, 143.31, 143.29, 137.6, 137.2, 136.3, 135.9, 135.8, 134.7, 133.1, 132.9, 132.8, 132.3, 130.0, 129.5, 129.4, 129.1, 128.3, 128.1, 127.92, 127.87, 127.6, 126.9, 126.5, 126.4, 124.8, 123.4, 120.9, 118.3, 34.5, 21.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₅H₃₄NO₂S₂⁺ 564.2104 Found 564.2114.



(*E*)-*N*-(2-(4-(4-(tert-butyl)phenyl)-2-methylhexa-3,5-dien-3-yl)phenyl)-4-methylbenzenesulfonamide (**3he**)

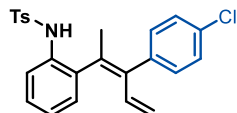
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3he** was obtained as a white solid (85 mg, 90 %), R_f=0.67 (PE/EA=10/1).

¹H NMR (400 MHz, CDCl₃) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.58 (d, *J* = 8.3 Hz, 1H), 7.44 (d, *J* = 8.3 Hz, 2H), 7.25 – 7.20 (m, 3H), 7.12 (d, *J* = 8.2 Hz, 2H), 7.04 (dd, *J* = 4.1, 0.8 Hz, 2H), 6.83 (s, 1H), 5.86 (dd, *J* = 17.1, 10.6 Hz, 1H), 4.81 (dd, *J* = 10.6, 1.7 Hz, 1H), 4.59 (dd, *J* = 17.1, 1.7 Hz, 1H),

2.75 (p, $J = 6.9$ Hz, 1H), 2.37 (s, 3H), 1.38 (s, 9H), 0.92 (d, $J = 6.9$ Hz, 3H), 0.70 (d, $J = 6.8$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 150.0, 143.9, 141.7, 140.9, 136.7, 136.8, 135.4, 134.9, 130.4, 129.6, 129.0, 128.2, 127.7, 126.9, 125.3, 122.7, 119.2, 115.9, 34.6, 32.4, 31.5, 22.1, 21.6, 20.6.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{30}\text{H}_{36}\text{NO}_2\text{S}^+$ 474.2461 Found 474.2465.



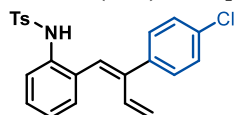
(*E*)-*N*-(2-(3-(4-chlorophenyl)penta-2,4-dien-2-yl)phenyl)-4-methylbenzenesulfonamide (**3im**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3im** was obtained as a white solid (75 mg, 88 %), R_f =0.45 (PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 7.58 (d, $J = 8.3$ Hz, 2H), 7.26 – 7.21 (m, 3H), 7.06 – 7.01 (m, 3H), 7.00 – 6.90 (m, 3H), 6.87 – 6.83 (m, 2H), 6.41 (s, 1H), 5.31 (dd, $J = 10.8, 1.4$ Hz, 1H), 4.86 (dd, $J = 17.2, 1.4$ Hz, 1H), 2.39 (s, 3H), 1.83 (s, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 144.0, 139.4, 136.5, 134.5, 134.4, 132.6, 132.3, 131.4, 129.7, 129.6, 128.1, 127.9, 127.2, 124.1, 119.5, 119.5, 21.6, 20.4.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{24}\text{H}_{23}\text{ClNO}_2\text{S}^+$ 424.1210; Found 424.1201.



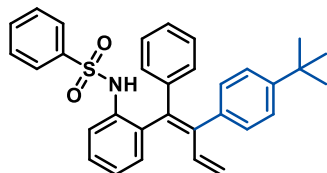
(*E*)-*N*-(2-(2-(4-chlorophenyl)buta-1,3-dien-1-yl)phenyl)-4-methylbenzenesulfonamide (**3jm**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3jm** was obtained as a white solid (74 mg, 90 %), R_f =0.47 (PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 7.63 (d, $J = 8.4$ Hz, 2H), 7.33 (dd, $J = 8.1, 1.2$ Hz, 1H), 7.25 (d, $J = 8.2$ Hz, 2H), 7.19 (d, $J = 8.4$ Hz, 2H), 7.08 (td, $J = 7.7, 1.5$ Hz, 1H), 6.87 – 6.81 (m, 3H), 6.62 (dd, $J = 7.8, 1.5$ Hz, 1H), 6.57 – 6.48 (m, 2H), 6.21 (s, 1H), 5.24 (d, $J = 10.7$ Hz, 1H), 4.97 (d, $J = 17.3$ Hz, 1H), 2.40 (s, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 144.0, 143.8, 139.7, 136.7, 134.8, 134.0, 133.5, 131.1, 130.3, 130.1, 129.7, 128.7, 128.2, 127.3, 125.9, 125.3, 123.4, 118.1, 21.6.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{23}\text{H}_{21}\text{ClNO}_2\text{S}^+$ 410.1054; Found 410.1056.



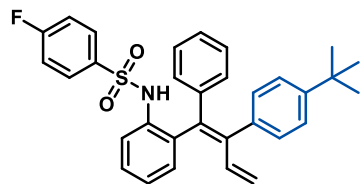
(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)benzenesulfonamide (**3ke**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ke** was obtained as a white solid (88mg, 89%), R_f =0.54 (PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 7.49 – 7.43 (m, 3H), 7.34 – 7.27 (m, 6H), 7.15 – 7.09 (m, 2H), 7.09 – 7.03 (m, 2H), 6.99 (ddd, $J = 8.5, 7.3, 1.7$ Hz, 1H), 6.96 – 6.91 (m, 2H), 6.89 – 6.80 (m, 2H), 6.78 – 6.69 (m, 2H), 5.31 (dd, $J = 10.7, 1.6$ Hz, 1H), 5.08 (dd, $J = 17.3, 1.6$ Hz, 1H), 1.24 (s, 9H).

^{13}C NMR (126 MHz, CDCl_3) δ 150.2, 140.2, 137.2, 135.7, 132.7, 132.3, 130.3, 130.1, 128.9, 128.5, 127.9, 127.8, 127.2, 124.8, 123.4, 120.5, 118.2, 31.2.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{32}\text{H}_{32}\text{NO}_2\text{S}^+$ 494.2075; Found 494.2076.



(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-4-fluorobenzenesulfonamide (**3le**)

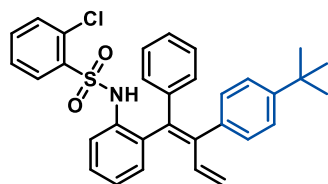
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3le** was obtained as a white solid (89 mg, 87%), R_f =0.52 (PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 7.43 – 7.39 (m, 2H), 7.34 – 7.28 (m, 4H), 7.15 – 7.11 (m, 2H), 7.09 – 7.05 (m, 2H), 7.03 – 6.98 (m, 1H), 6.97 – 6.91 (m, 4H), 6.88 – 6.80 (m, 2H), 6.78 (dd, J = 7.5, 1.2 Hz, 1H), 6.71 (s, 1H), 5.32 (dd, J = 10.8, 1.6 Hz, 1H), 5.08 (dd, J = 17.3, 1.6 Hz, 1H), 1.24 (s, 9H).

^{13}C NMR (126 MHz, CDCl_3) δ 166.1, 164.0, 150.3, 142.8, 140.1, 137.1, 136.1, 135.6, 134.1, 133.1, 132.4, 130.3, 130.0, 129.97, 129.92, 128.3, 128.0, 127.9, 124.8, 123.7, 120.8, 118.2, 116.2, 116.0, 34.5, 31.2, 29.7.

^{19}F NMR (377 MHz, CDCl_3) δ -105.04 (dd, J = 8.9, 4.1 Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{32}\text{H}_{31}\text{FNO}_2\text{S}^+$ 512.2054 Found 512.2045.



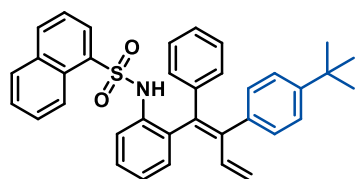
(*E*)-*N*-(2-(2-(4-(tert-butyl)phenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)-2-chlorobenzenesulfonamide (**3me**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3me** was obtained as a white solid (94 mg, 90 %), R_f =0.56 (PE/EA=10/1).

^1H NMR (500 MHz, CDCl_3) δ 8.03 (dd, J = 7.8, 1.6 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.40 – 7.35 (m, 2H), 7.25 (dd, J = 4.9, 1.8 Hz, 3H), 7.16 (d, J = 8.3 Hz, 2H), 7.07 – 7.01 (m, 5H), 6.96 (ddd, J = 8.4, 7.1, 1.8 Hz, 1H), 6.92 (d, J = 1.7 Hz, 1H), 6.90 – 6.80 (m, 3H), 5.31 (dd, J = 10.8, 1.6 Hz, 1H), 5.12 (dd, J = 17.2, 1.6 Hz, 1H), 1.25 (s, 9H).

^{13}C NMR (126 MHz, CDCl_3) δ 150.4, 141.6, 140.7, 138.1, 137.7, 136.7, 135.7, 135.0, 133.8, 133.4, 132.7, 132.2, 131.9, 131.2, 130.7, 130.5, 128.2, 127.8, 127.7, 127.1, 124.9, 124.9, 124.4, 120.5, 119.9, 34.5, 31.4, 31.3, 26.9.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{32}\text{H}_{31}\text{ClNO}_2\text{S}^+$ 529.1759 Found 529.1750.



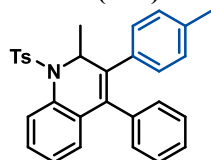
(*E*)-*N*-(2-(2-(4-(*tert*-butyl)phenyl)-1-phenylbuta-1,3-dien-1-yl)phenyl)naphthalene-1-sulfonamide (**3ne**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **3ne** was obtained as a white solid (98 mg, 90 %), R_f=0.45 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 8.24 (d, *J* = 1.9 Hz, 1H), 7.85 (ddd, *J* = 23.6, 8.1, 1.3 Hz, 2H), 7.72 (d, *J* = 8.7 Hz, 1H), 7.60 (dddd, *J* = 20.6, 8.1, 6.9, 1.4 Hz, 2H), 7.44 (dd, *J* = 8.3, 1.1 Hz, 1H), 7.35 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.22 – 7.16 (m, 1H), 7.13 – 7.07 (m, 2H), 7.03 – 6.96 (m, 5H), 6.87 – 6.81 (m, 3H), 6.81 – 6.70 (m, 3H), 5.31 (dd, *J* = 10.7, 1.6 Hz, 1H), 5.07 (dd, *J* = 17.2, 1.6 Hz, 1H), 1.20 (s, 9H).

¹³C NMR (126 MHz, CDCl₃) δ 150.1, 142.6, 140.1, 137.3, 136.5, 136.4, 135.7, 134.9, 134.2, 133.4, 132.4, 132.0, 130.3, 130.1, 129.4, 129.3, 128.9, 128.9, 128.3, 128.0, 127.9, 127.8, 127.4, 124.7, 123.7, 122.3, 120.5, 118.9, 34.4, 31.2, 26.9.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₆H₃₄NO₂S⁺ 544.2305; Found 544.2295.



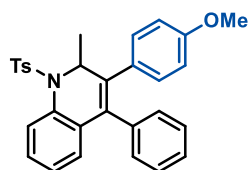
2-Methyl-4-phenyl-3-(*p*-tolyl)-1-tosyl-1,2-dihydroquinoline (**4ab**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ab** was obtained as a white solid (68 mg, 75 %), R_f=0.45 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.87 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.32 (td, *J* = 7.7, 1.5 Hz, 1H), 7.25 (s, 1H), 7.16 – 7.09 (m, 5H), 7.07 (d, *J* = 8.1 Hz, 2H), 6.89 (d, *J* = 7.9 Hz, 2H), 6.76 (dd, *J* = 7.9, 1.5 Hz, 1H), 6.71 – 6.66 (m, 2H), 6.45 (s, 2H), 5.24 (q, *J* = 6.8 Hz, 1H), 2.36 (s, 3H), 2.24 (s, 3H), 1.38 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.3, 137.6, 136.8, 136.1, 135.4, 132.1, 131.8, 131.7, 130.6, 129.1, 128.6, 128.5, 128.0, 127.9, 127.8, 127.4, 126.9, 126.4, 126.4, 55.4, 29.7, 21.42, 2.12, 19.7.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₈NO₂S⁺ 466.6110; Found 466.6115.



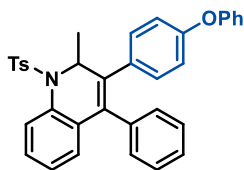
3-(4-methoxyphenyl)-2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinoline (**4af**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4af** was obtained as a white solid (82 mg, 86%), R_f=0.47 (PE/EA=10/1).

¹H NMR (500 MHz, CDCl₃) δ 7.86 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.32 (td, *J* = 7.7, 1.5 Hz, 1H), 7.26 – 7.23 (m, 2H), 7.17 – 7.09 (m, 4H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.77 – 6.70 (m, 3H), 6.65 – 6.57 (m, 2H), 6.45 (s, 2H), 5.23 (q, *J* = 6.8 Hz, 1H), 3.74 (s, 3H), 2.36 (s, 3H), 1.38 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 158.4, 143.3, 137.8, 136.3, 136.1, 131.9, 131.8, 131.4, 130.7, 130.6, 129.9, 129.1, 128.0, 127.7, 127.4, 126.9, 126.4, 113.1, 77.3, 77.0, 76.7, 55.4, 55.1, 21.4, 19.7.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₈NO₃S⁺ 482.1712; Found 482.1716.



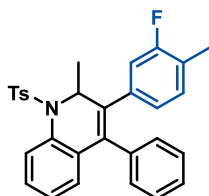
2-methyl-3-(4-phenoxyphenyl)-4-phenyl-1-tosyl-1,2-dihydroquinoline (**4ah**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ah** was obtained as a white solid (97 mg, 89%), R_f=0.48 (PE/EA=10/1)

¹H NMR (400 MHz, CDCl₃) δ 7.88 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.34 (tt, *J* = 7.8, 2.3 Hz, 3H), 7.29 – 7.24 (m, 2H), 7.17 – 7.05 (m, 7H), 7.01 – 6.94 (m, 2H), 6.80 – 6.69 (m, 5H), 6.47 (s, 2H), 5.24 (q, *J* = 6.8 Hz, 1H), 2.35 (s, 3H), 1.41 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 156.6, 156.3, 143.4, 137.5, 136.1, 136.0, 133.2, 132.1, 132.1, 131.6, 130.6, 130.1, 129.8, 129.1, 128.0, 127.9, 127.4, 127.1, 126.5, 126.4, 123.6, 119.3, 117.6, 55.3, 26.9, 21.4, 19.7.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₅H₃₀NO₃S⁺ 544.1941; Found 544.1937.



3-(3-fluoro-4-methylphenyl)-2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinoline (**4ak**)

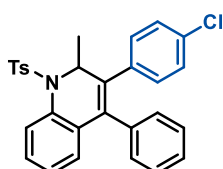
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ak** was obtained as a white solid (82 mg, 85%), R_f=0.48 (PE/EA=10/1)

¹H NMR (400 MHz, CDCl₃) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.34 (td, *J* = 7.7, 1.5 Hz, 1H), 7.28 – 7.23 (m, 2H), 7.21 – 7.06 (m, 6H), 6.91 (t, *J* = 8.0 Hz, 1H), 6.77 (dd, *J* = 7.9, 1.5 Hz, 1H), 6.61 – 6.38 (m, 3H), 6.28 (dd, *J* = 11.4, 1.8 Hz, 1H), 5.17 (q, *J* = 6.8 Hz, 1H), 2.37 (s, 3H), 2.16 (d, *J* = 1.8 Hz, 3H), 1.39 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 161.7, 159.3, 143.5, 137.87(d, *J* = 31.16 Hz), 137.2, 136.1, 135.43(d, *J* = 27.84 Hz), 132.7, 132.2, 131.4, 130.71(d, *J* = 22.28 Hz), 130.4, 129.2, 128.2, 128.09, 128.06, 127.3, 127.2, 126.7, 126.5, 123.93(d, *J* = 12.72 Hz), 123.7, 123.6, 115.5, 115.24 55.3, 21.4, 19.7, 14.3, 14.25(d, *J* = 21.84 Hz)

¹⁹F NMR (377 MHz, CDCl₃) δ -117.68 (dd, *J* = 11.2, 8.3 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₇FNO₂S⁺ 484.1741; Found 484.1738.



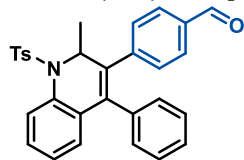
3-(4-chlorophenyl)-2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinoline (**4am**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4am** was obtained as a white solid (86 mg, 87%), R_f=0.48 (PE/EA=10/1)

¹H NMR (400 MHz, CDCl₃) δ 7.88 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.35 (td, *J* = 7.7, 1.5 Hz, 1H), 7.28 – 7.23 (m, 2H), 7.18 – 7.03 (m, 8H), 6.79 (dd, *J* = 7.8, 1.5 Hz, 1H), 6.73 – 6.68 (m, 2H), 6.46 (s, 2H), 5.19 (q, *J* = 6.8 Hz, 1H), 2.37 (s, 3H), 1.39 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.5, 137.2, 136.9, 136.1, 135.5, 132.9, 132.8, 132.2, 131.2, 130.4, 130.0, 129.9, 128.3, 128.14, 128.06, 128.0, 127.26, 127.30, 126.7, 126.5, 55.1, 26.9, 21.4, 19.7.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₄ClNO₂S⁺ 487.1323; Found 487.1313.



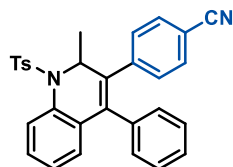
4-(2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinolin-3-yl)benzaldehyde (4ao)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ao** was obtained as a white solid (84 mg, 88%), R_f=0.48 (PE/EA=10/1)

¹H NMR (400 MHz, CDCl₃) δ 9.89 (s, 1H), 7.90 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.63 – 7.57 (m, 2H), 7.38 (d, *J* = 1.5 Hz, 1H), 7.27 – 7.23 (m, 2H), 7.19 – 7.07 (m, 6H), 6.94 – 6.88 (m, 2H), 6.82 (dd, *J* = 7.9, 1.5 Hz, 1H), 6.47 (s, 2H), 5.24 (q, *J* = 6.8 Hz, 1H), 2.37 (s, 3H), 1.42 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 191.6, 144.8, 143.6, 136.8, 136.1, 135.4, 134.6, 134.4, 132.4, 131.0, 130.4, 129.3, 129.2, 129.1, 128.7, 128.2, 128.1, 127.5, 127.3, 127.0, 126.6, 55.0, 21.4, 19.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₆NO₃S⁺ 480.1628; Found 480.1621.



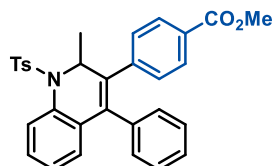
4-(2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinolin-3-yl)benzonitrile (4ap)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ap** was obtained as a white solid (78mg, 82%), R_f=0.48 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.89 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.43 – 7.35 (m, 3H), 7.25 – 7.06 (m, 8H), 6.88 – 6.77 (m, 3H), 6.45 (s, 2H), 5.19 (q, *J* = 6.8 Hz, 1H), 2.37 (s, 3H), 1.42 (d, *J* = 3.5 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 143.7, 143.3, 136.5, 136.1, 134.7, 134.7, 132.4, 131.6, 130.8, 130.3, 129.3, 129.3, 128.9, 128.3, 128.1, 127.7, 127.3, 127.0, 126.7, 118.6, 110.6, 77.4, 77.1, 76.7, 54.8, 26.9, 21.5, 19.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₀H₂₅N₂O₂S⁺ 477.1631; Found 477.1626.



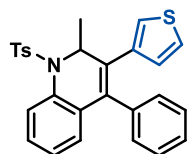
methyl 4-(2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinolin-3-yl)benzoate (4aq)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4aq** was obtained as a white solid (84 mg, 83 %), R_f=0.62 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃CDCl₃CDCl₃) δ 7.90 (dd, *J* = 8.1, 1.2 Hz, 1H), 7.76 (d, *J* = 8.3 Hz, 2H), 7.40 – 7.35 (m, 1H), 7.24 (s, 1H), 7.16 – 7.08 (m, 5H), 6.82 (dd, *J* = 8.4, 2.3 Hz, 3H), 6.47 (s, 2H), 5.23 (q, *J* = 6.8 Hz, 1H), 3.87 (s, 3H), 1.43 (d, *J* = 3.5 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 166.7, 143.6, 143.2, 136.9, 136.1, 135.6, 133.8, 132.4, 131.2, 130.4, 129.2, 129.0, 128.7, 128.5, 128.4, 128.1, 127.4, 127.3, 126.8, 126.5, 55.0, 52.1, 21.4, 19.76.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₁H₂₆NO₄S⁺ 509.1661; Found 509.1668.



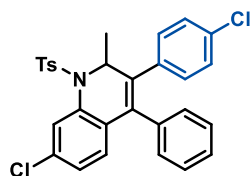
2-methyl-4-phenyl-3-(thiophen-3-yl)-1-tosyl-1,2-dihydroquinoline (**4at**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4at** was obtained as a white solid (82mg, 90%), R_f=0.48 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.84 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.31 (td, *J* = 7.7, 1.5 Hz, 1H), 7.28 – 7.16 (m, 5H), 7.09 (td, *J* = 7.6, 1.3 Hz, 1H), 7.03 – 6.98 (m, 3H), 6.80 (dd, *J* = 3.0, 1.4 Hz, 1H), 6.65 (dd, *J* = 7.8, 1.5 Hz, 1H), 6.63 – 6.29 (m, 2H), 6.25 (dd, *J* = 5.2, 1.4 Hz, 1H), 5.36 (q, *J* = 6.9 Hz, 1H), 2.35 (s, 3H), 1.41 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.5, 138.9, 138.1, 135.8, 131.8, 131.7, 131.3, 130.9, 130.2, 128.9, 128.5, 128.2, 127.8, 127.51, 127.45, 127.4, 126.6, 126.5, 124.3, 123.7, 54.9, 21.4, 19.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₇H₂₄NO₂S₂⁺ 458.1243; Found 458.1234.



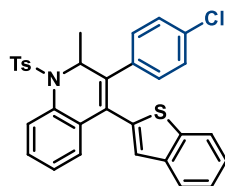
7-chloro-3-(4-chlorophenyl)-2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinoline (**4bm**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4bm** was obtained as a white solid (90 mg, 87 %), R_f=0.48 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.90 (d, *J* = 2.2 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 2H), 7.19 – 7.05 (m, 8H), 6.72 (d, *J* = 8.4 Hz, 1H), 6.67 (d, *J* = 8.6 Hz, 2H), 6.45 (s, 2H), 5.18 (q, *J* = 6.8 Hz, 1H), 2.38 (s, 3H), 1.39 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.8, 136.7, 136.5, 135.9, 135.6, 133.6, 133.4, 133.1, 132.3, 130.3, 129.90, 129.86, 129.3, 128.3, 128.1, 127.8, 127.7, 127.5, 127.3, 126.7, 55.2, 21.5, 19.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₉H₂₄Cl₂NO₂S⁺ 521.0933; Found 521.0938.



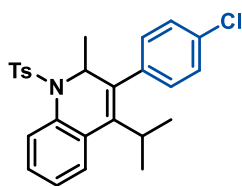
4-(benzo[b]thiophen-2-yl)-3-(4-chlorophenyl)-2-methyl-1-tosyl-1,2-dihydroquinoline (**4gm**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4gm** was obtained as a white solid (85 mg, 90 %), R_f=0.62 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.89 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.73 – 7.66 (m, 1H), 7.62 – 7.57 (m, 1H), 7.39 (td, *J* = 7.6, 1.5 Hz, 1H), 7.33 – 7.26 (m, 3H), 7.25 (s, 1H), 7.18 (td, *J* = 7.6, 1.3 Hz, 1H), 7.15 – 7.08 (m, 5H), 6.91 – 6.86 (m, 2H), 6.56 (s, 1H), 5.20 (q, *J* = 6.8 Hz, 1H), 2.41 (s, 3H), 1.39 (d, *J* = 6.8 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.7, 140.5, 139.4, 139.3, 138.4, 136.4, 135.9, 133.6, 132.1, 130.6, 129.5, 129.5, 128.7, 128.3, 128.1, 127.1, 126.8, 126.6, 125.9, 125.9, 124.4, 124.3, 123.5, 122.1, 55.4, 26.9, 21.6, 19.3.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₁H₂₅ClNO₂S₂⁺ 543.1010; Found 543.1016.



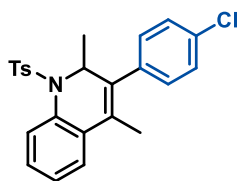
3-(4-chlorophenyl)-4-isopropyl-2-methyl-1-tosyl-1,2-dihydroquinoline (**4hm**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4hm** was obtained as a white solid (85 mg, 90 %), R_f=0.62 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.80 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.35 – 7.30 (m, 3H), 7.27 (d, *J* = 2.2 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.11 (d, *J* = 8.2 Hz, 2H), 7.05 (dd, *J* = 7.6, 1.5 Hz, 1H), 6.88 (d, *J* = 8.1 Hz, 2H), 6.28 (s, 1H), 5.41 (q, *J* = 6.9 Hz, 1H), 2.24 (s, 3H), 1.20 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.6, 138.4, 138.3, 136.7, 135.1, 133.5, 133.4, 130.2, 129.7, 129.3, 128.7, 128.6, 127.7, 127.3, 126.2, 125.7, 77.4, 77.2, 76.9, 56.1, 29.3, 23.2, 21.5, 20.6, 17.9.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₆H₂₇ClNO₂S⁺ 453.1523; Found 453.1520.



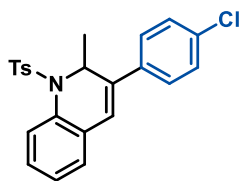
3-(4-chlorophenyl)-2,4-dimethyl-1-tosyl-1,2-dihydroquinoline (**4im**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4im** was obtained as a white solid (74 mg, 87 %), R_f=0.59 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.77 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.38 – 7.32 (m, 3H), 7.29 (td, *J* = 7.5, 1.4 Hz, 1H), 7.25 – 7.20 (m, 3H), 7.09 – 7.04 (m, 2H), 7.00 (d, *J* = 8.0 Hz, 2H), 5.06 (q, *J* = 6.8 Hz, 1H), 2.26 (s, 3H), 1.56 (s, 3H), 1.02 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 143.5, 138.6, 135.5, 135.5, 134.1, 132.0, 129.4, 129.0, 128.9, 128.3, 1, 126.98, 126.96, 126.9, 126.6, 119.7, 77.4, 77.2, 76.9, 52.5, 21.6.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₄H₂₃ClNO₂S⁺ 424.1210; Found 424.1201.



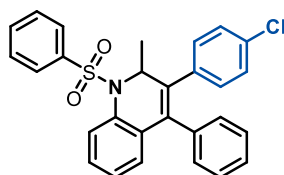
3-(4-chlorophenyl)-2-methyl-1-tosyl-1,2-dihydroquinoline (**4jm**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4jm** was obtained as a white solid (68 mg, 83 %), R_f=0.69 (PE/EA=10/1)

¹H NMR (400 MHz, CDCl₃) δ 7.80 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.35 – 7.30 (m, 3H), 7.27 (d, *J* = 2.2 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.11 (d, *J* = 8.2 Hz, 2H), 7.05 (dd, *J* = 7.6, 1.5 Hz, 1H), 6.88 (d, *J* = 8.1 Hz, 2H), 6.28 (s, 1H), 5.41 (q, *J* = 6.9 Hz, 1H), 2.24 (s, 3H), 1.20 (d, *J* = 6.9 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 197.5, 143.6, 143.4, 136.9, 136.0, 135.5, 135.3, 133.9, 132.4, 131.2, 130.4, 129.2, 128.9, 128.6, 128.2, 128.1, 127.8, 127.4, 127.3, 126.9, 126.6, 55.0, 26.9, 26.6, 21.5, 19.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₃H₂₁ClNO₂S⁺410.1054; Found 410.1056.



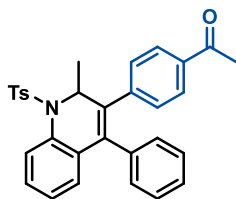
3-(4-chlorophenyl)-2-methyl-4-phenyl-1-(phenylsulfonyl)-1,2-dihydroquinoline (**4km**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4m** was obtained as a white solid (85 mg, 90 %), R_f=0.62 (PE/EA=10/1)

¹H NMR (500 MHz, CDCl₃) δ 7.90 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.51 (tt, *J* = 7.3, 1.3 Hz, 1H), 7.42 – 7.34 (m, 3H), 7.34 – 7.28 (m, 2H), 7.18 – 7.11 (m, 4H), 7.07 – 7.01 (m, 2H), 6.78 (dd, *J* = 7.9, 1.5 Hz, 1H), 6.67 – 6.62 (m, 2H), 6.48 (s, 2H), 5.19 (q, *J* = 6.8 Hz, 1H), 1.42 (d, *J* = 2.1 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 139.1, 137.0, 136.9, 135.3, 133.1, 132.9, 132.7, 132.1, 131.3, 130.4, 129.9, 128.7, 128.4, 128.2, 128.0, 127.9, 127.3, 127.2, 126.8, 126.6, 55.2, 26.9, 19.7.

HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₈H₂₃ClNO₂S⁺473.1133; Found 473.1124.



1-(4-(2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinolin-3-yl)phenyl)ethan-1-one (**4ar**)

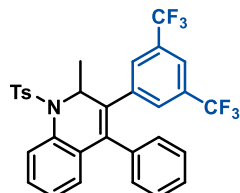
The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ar** was obtained as a white solid (87 mg, 88 %), R_f=0.62 (PE/EA=10/1)

¹H NMR (400 MHz, CDCl₃) δ 7.89 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.67 (d, *J* = 8.4 Hz, 2H), 7.37 (td, *J* = 7.7, 1.5 Hz, 1H), 7.24 (d, *J* = 8.3 Hz, 2H), 7.19 – 7.05 (m, 6H), 6.89 – 6.83 (m, 2H), 6.80 (dd, *J* =

7.8, 1.5 Hz, 1H), 6.46 (s, 2H), 5.24 (q, $J = 6.8$ Hz, 1H), 2.53 (s, 3H), 2.37 (s, 3H), 1.42 (d, $J = 2.8$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 197.5, 143.6, 143.4, 136.9, 136.0, 135.5, 135.3, 133.9, 132.4, 131.2, 130.4, 129.2, 128.9, 128.6, 128.2, 128.1, 127.8, 127.4, 127.3, 126.9, 126.6, 55.0, 26.9, 26.6, 21.5, 19.8.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{31}\text{H}_{28}\text{ClNO}_3\text{S}^+$ 494.1712; Found 494.1719.



3-(3,5-bis(trifluoromethyl)phenyl)-2-methyl-4-phenyl-1-tosyl-1,2-dihydroquinoline (**4ay**)

The title compound was prepared via the general procedure, after purification by silica gel column chromatograph (PE/EA=4/1), **4ay** was obtained as a white solid (100 mg, 85%), $R_f=0.62$ (PE/EA=10/1)

^1H NMR (400 MHz, CDCl_3) δ 7.92 (d, $J = 8.0$ Hz, 1H), 7.57 (s, 1H), 7.47 – 7.38 (m, 1H), 7.23 – 7.08 (m, 8H), 7.04 (s, 2H), 6.84 (dd, $J = 7.7, 1.5$ Hz, 1H), 6.46 (s, 2H), 5.16 (q, $J = 6.8$ Hz, 1H), 2.37 (s, 3H), 1.50 (d, $J = 6.8$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 144.0, 140.6, 136.2, 136.1, 135.8, 132.8, 132.5, 131.2, 130.9, 130.7, 130.3, 129.4, 129.2, 128.7, 128.6, 128.4, 128.3, 127.9, 127.2, 127.1, 126.8, 124.4, 121.7, 120.6, 120.6, 120.5, 54.6, 21.3, 20.1.

^{19}F NMR (377 MHz, CDCl_3) δ -63.15.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{31}\text{H}_{24}\text{F}_6\text{NO}_2\text{S}^+$ 588.1426; Found 588.1418.

6. X-ray Crystal Structure Determination of the Products

To grow the crystals used to collect the X-ray data for **3af**, the following method was used: the sample was dissolved with 2 mL petroleum ether and 2 mL DCM in a small vial, which was kept aside at room temperature to obtain crystals.

A suitable crystal was selected on a ROD, Synergy Custom system, HyPix diffractometer. The crystal was kept at 297.00(2) K during data collection. Using Olex2, the structure was solved with the ShelXT structure solution program using Intrinsic Phasing and refined with the ShelXL refinement package using Least Squares minimisation. The data have been deposited at the Cambridge Crystallographic Data Center (CCDC 2394222).

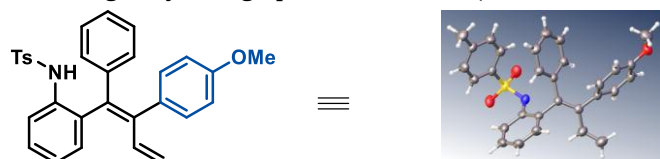


Figure S5. The X-ray Diffraction Configuration of **3af**.

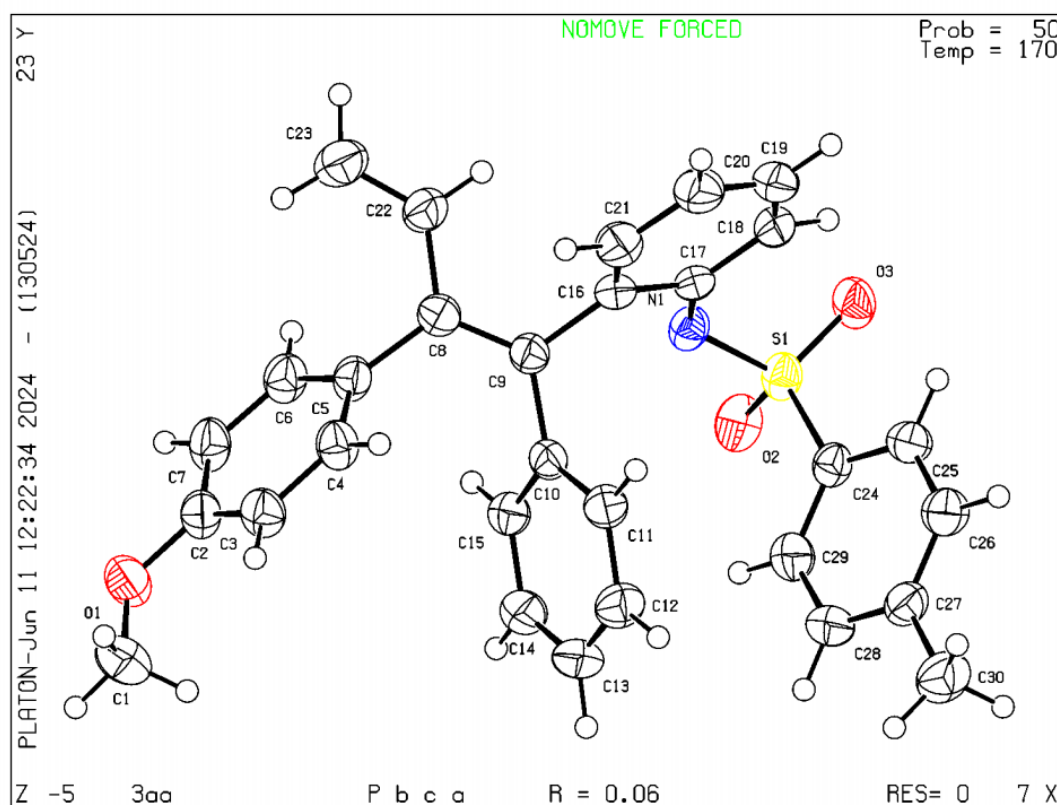


Table S8. Crystallographic data for compounds **3af**

Identification code	3af
Empirical formula	C ₃₀ H ₂₇ NO ₃ S
Formula weight	480.58
Temperature/K	170
Crystal system	orthorhombic
Space group	P b c a
a/Å	9.9310(5)

b/Å	18.7108(11)
c/Å	26.5948(14)
$\alpha/^\circ$	90
$\beta/^\circ$	90
$\gamma/^\circ$	90
Volume/Å ³	4941.8(5)
Z	8
$\rho_{\text{calc}}/\text{cm}^3$	1.292
μ/mm^{-1}	0.164
F(000)	2024.0
Crystal size/mm ³	$0.09 \times 0.06 \times 0.04$
2 Θ range for data collection/ $^\circ$	4.616 to 52.894
Index ranges	$-12 \leq h \leq 11$, $-20 \leq k \leq 23$, $-33 \leq l \leq 32$
Reflections collected	26513
Independent reflections	5066 [Rint = 0.0892, Rsigma = 0.0678]
Data/restraints/parameters	5066/0/319
Goodness-of-fit on F ²	1.034
Final R indexes [$I \geq 2\sigma(I)$]	R1 = 0.0567, wR2 = 0.1227
Final R indexes [all data]	R1 = 0.1105, wR2 = 0.1497
Largest diff. peak/hole / e Å ⁻³	0.50/-0.28

To grow the crystals used to collect the X-ray data for **4af**, the following method was used: the sample was dissolved with 2 mL petroleum ether and 2 mL DCM in a small vial, which was kept aside at room temperature to obtain crystals.

A suitable crystal was selected on a ROD, Synergy Custom system, HyPix diffractometer. The crystal was kept at 297.00(2) K during data collection. Using Olex2, the structure was solved with the ShelXT structure solution program using Intrinsic Phasing and refined with the ShelXL refinement package using Least Squares minimisation. The data have been deposited at the Cambridge Crystallographic Data Center (CCDC 2394187).

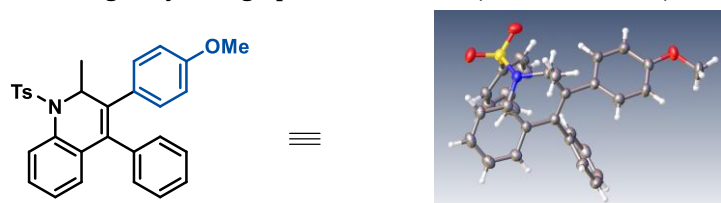


Figure S6. The X-ray Diffraction Configuration of **4af**.

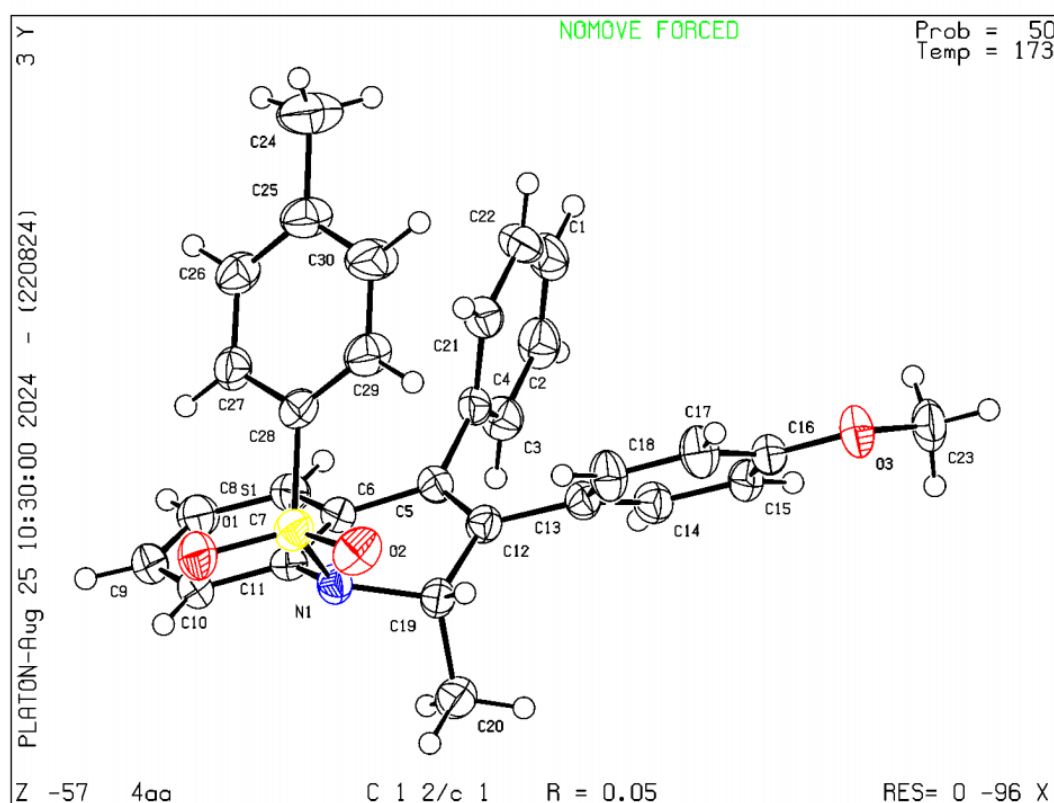


Table S9. Crystallographic data for compounds **4af**

Identification code	4af
Empirical formula	C ₃₀ H ₂₇ NO ₃ S
Formula weight	481.58
Temperature/K	173
Crystal system	monoclinic
Space group	C2/c
a/Å	18.3210(6)

b/Å	9.2639(3)
c/Å	30.2884(12)
$\alpha/^\circ$	90
$\beta/^\circ$	105.4480(10)
$\gamma/^\circ$	90
Volume/Å ³	4954.9(3)
Z	8
$\rho_{\text{calc}}/\text{cm}^3$	1.291
μ/mm^{-1}	0.163
F(000)	2032.0
Crystal size/mm ³	0.15 × 0.06 × 0.05
2 θ range for data collection/ $^\circ$	4.614 to 52.8
Index ranges	-22 ≤ h ≤ 22, -11 ≤ k ≤ 11, -33 ≤ l ≤ 37
Reflections collected	28179
Independent reflections	5071 [R _{int} = 0.0877, R _{sigma} = 0.0580]
Data/restraints/parameters	5071/0/319
Goodness-of-fit on F ²	1.075
Final R indexes [I ≥ 2 σ (I)]	R1 = 0.0548, wR2 = 0.1472
Final R indexes [all data]	R1 = 0.0873, wR2 = 0.1734
Largest diff. peak/hole / e Å ⁻³	0.27/-0.41

7 Reference

- [1] Gu, X. T.; Shen, J. H.; Xu, Z. Y.; Liu, J. X.; Shi, M.; Wei, Y. *Angew. Chem. Int. Ed.* **2014**, *38*, e202409463
- [2] Jiang, B.; Liu, J. X.; Wei, Y.; Shi, M. *Org. Lett.* **2018**, *20*, 19, 6229-6233
- [3] Li, M.; Wei, Y.; Shi, M. *Org. Chem. Front.*, **2023**, *10*, 440-447

8 Spectroscopic Data of Products

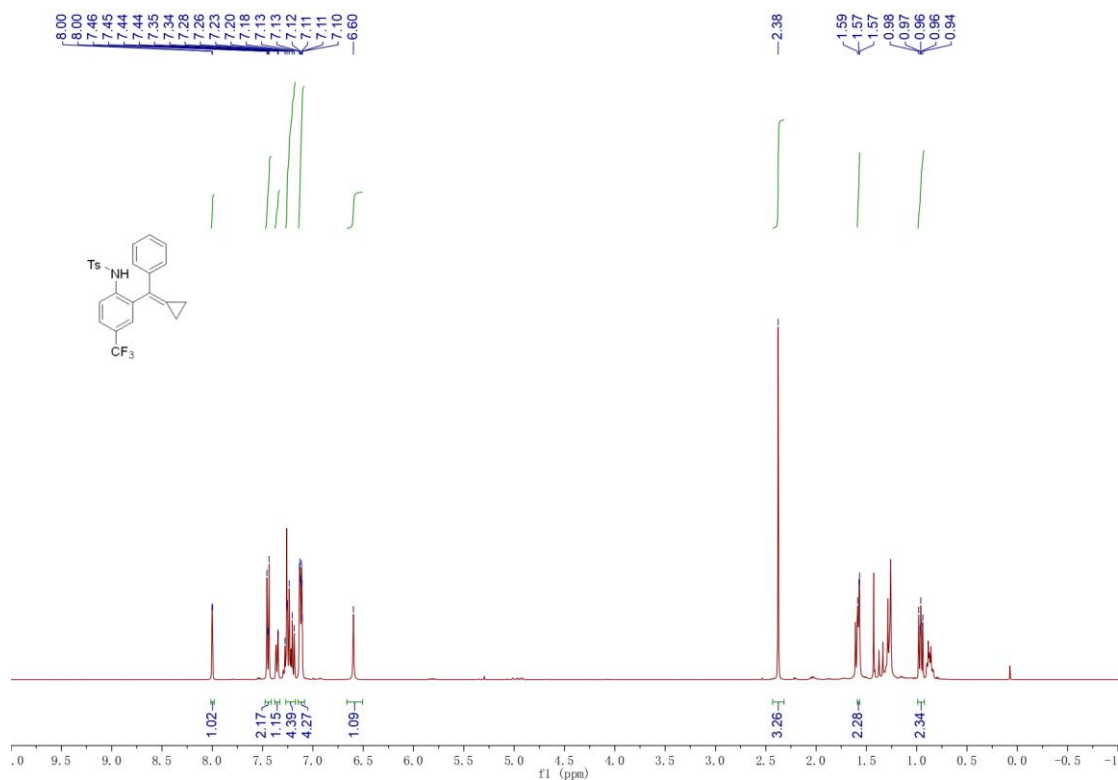


Figure S7. ¹H NMR (500 MHz, CDCl₃) spectrum of 1c

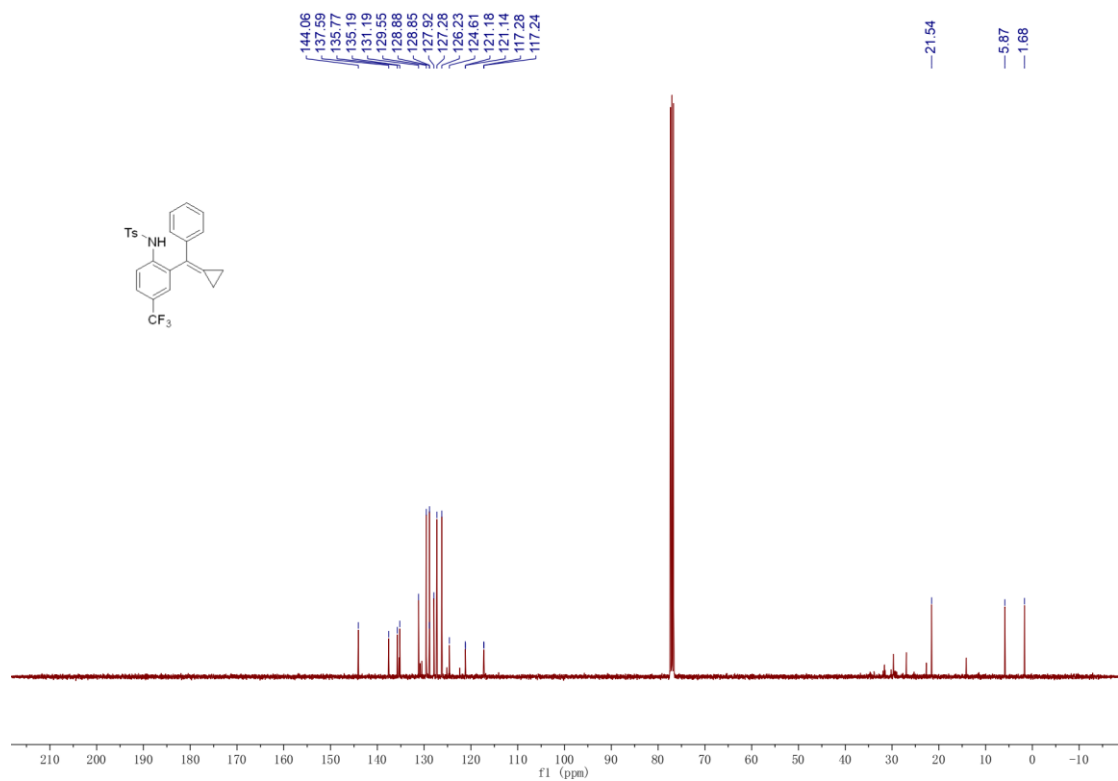


Figure S8. ¹³C NMR (126 MHz, CDCl₃) spectrum of 1c

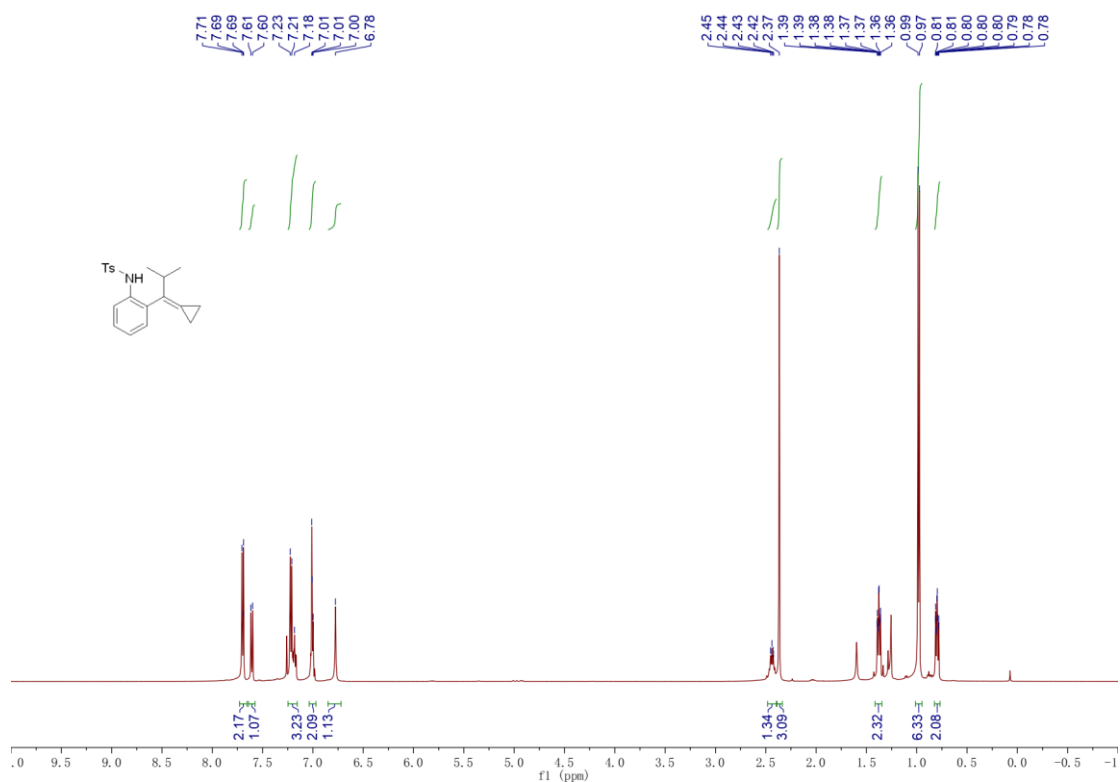


Figure S9. ¹H NMR (500 MHz, CDCl₃) spectrum of 1h

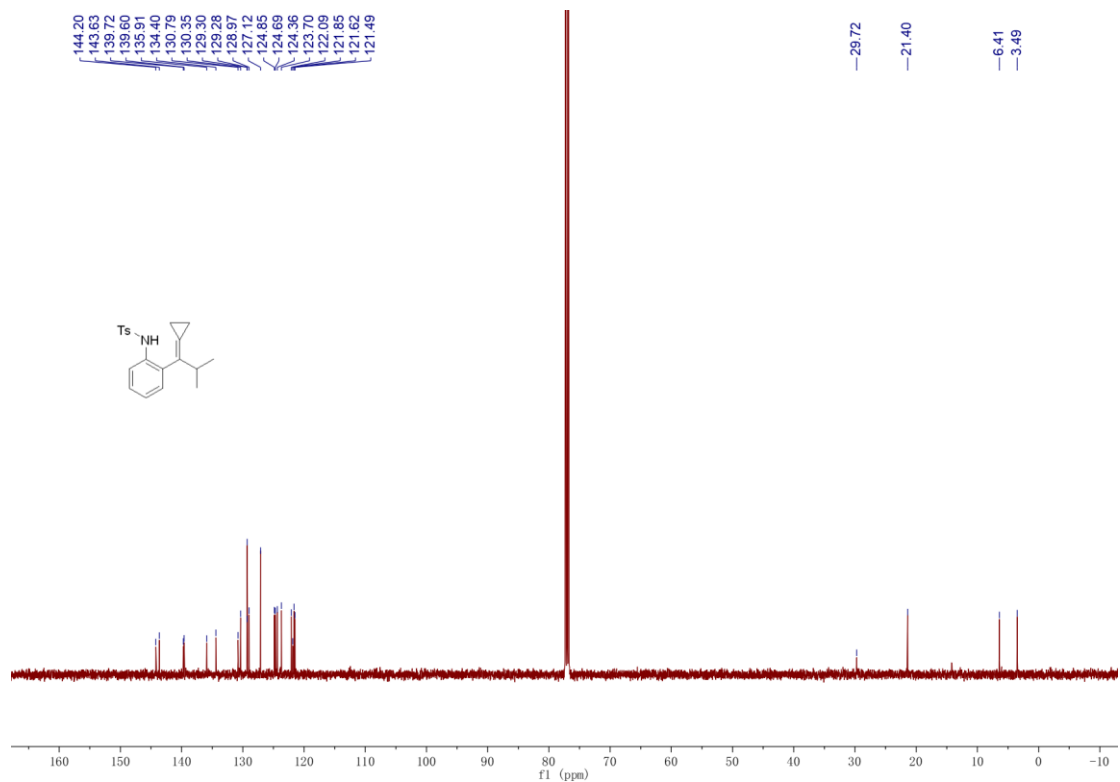


Figure S10. ¹³C NMR (126 MHz, CDCl₃) spectrum of 1h

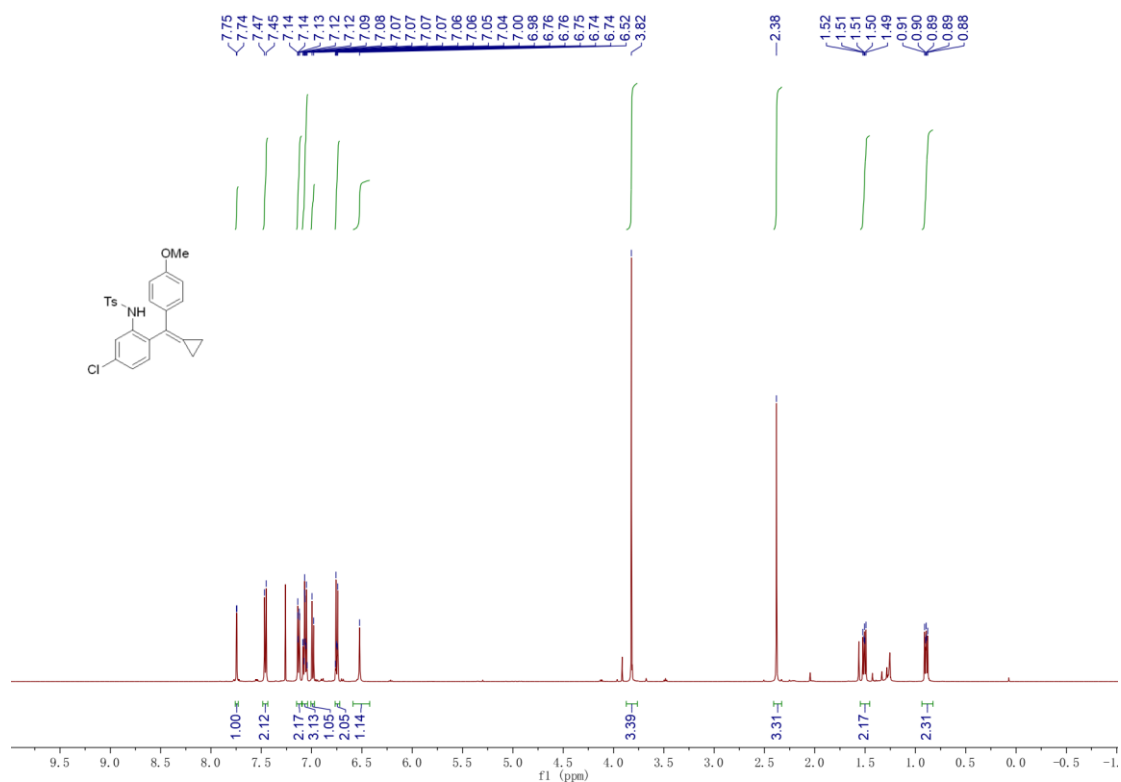


Figure S11. ¹H NMR (500 MHz, CDCl₃) spectrum of 1e

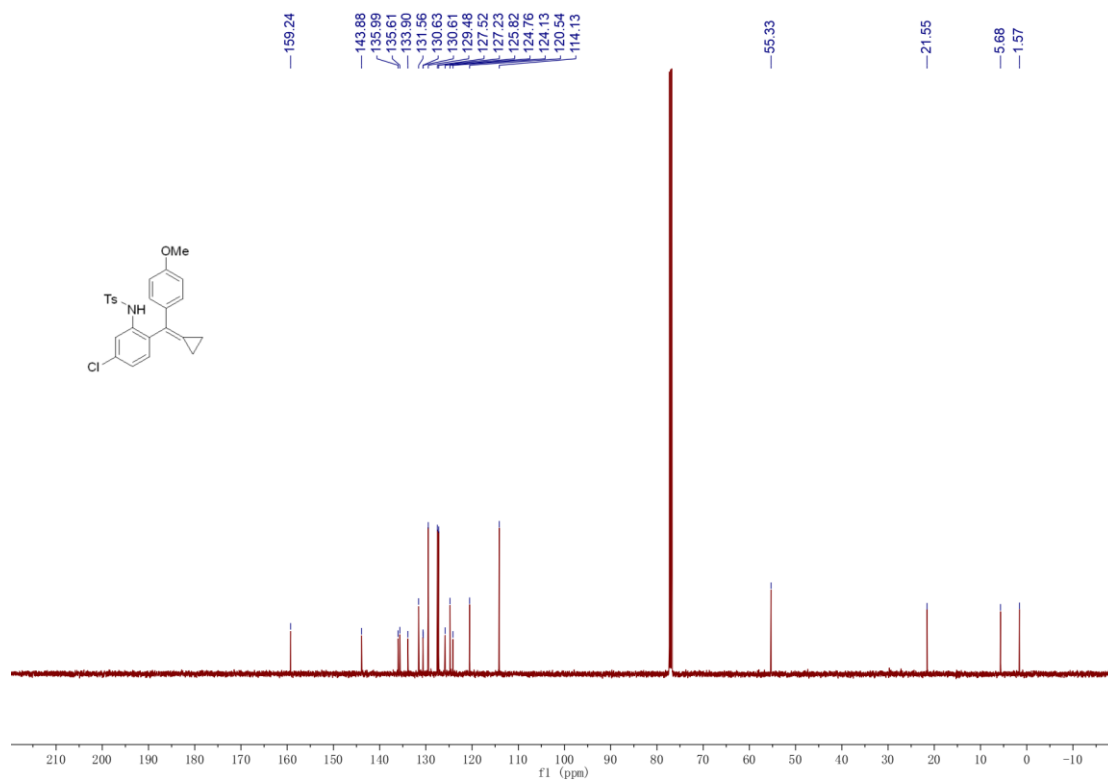


Figure S12. ¹³C NMR (126 MHz, CDCl₃) spectrum of 1e

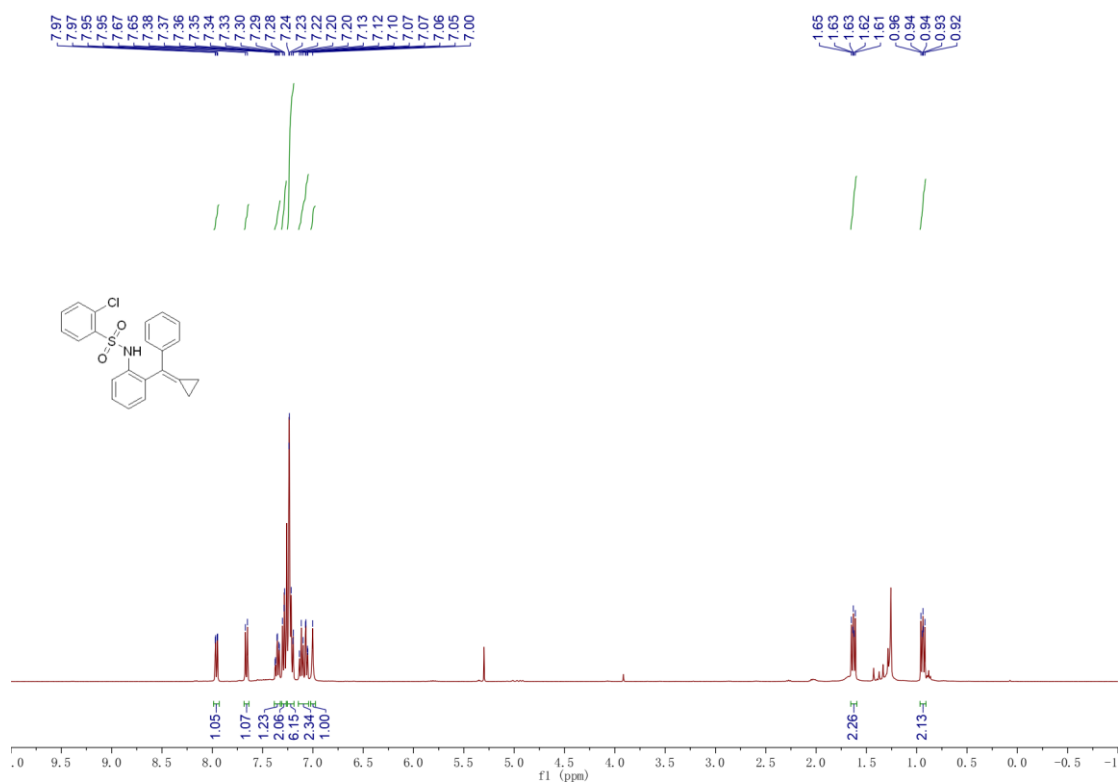


Figure S13. ¹H NMR (500 MHz, CDCl₃) spectrum of 1k

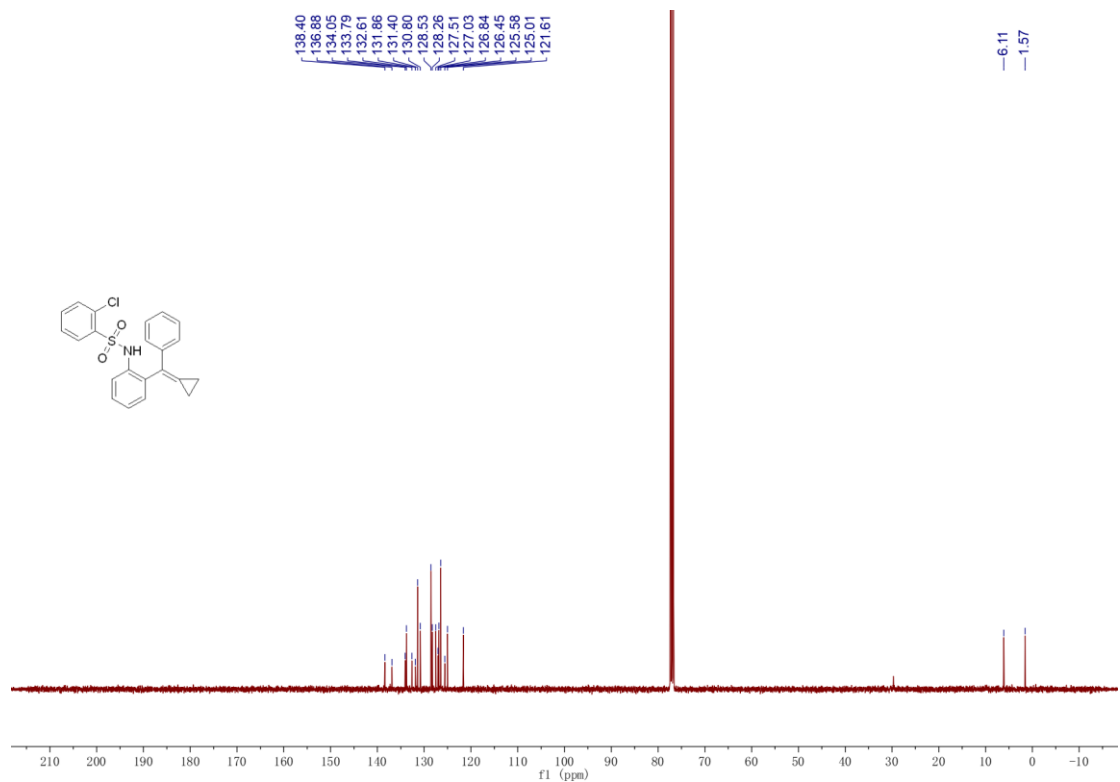


Figure S14. ¹³C NMR (126 MHz, CDCl₃) spectrum of 1k

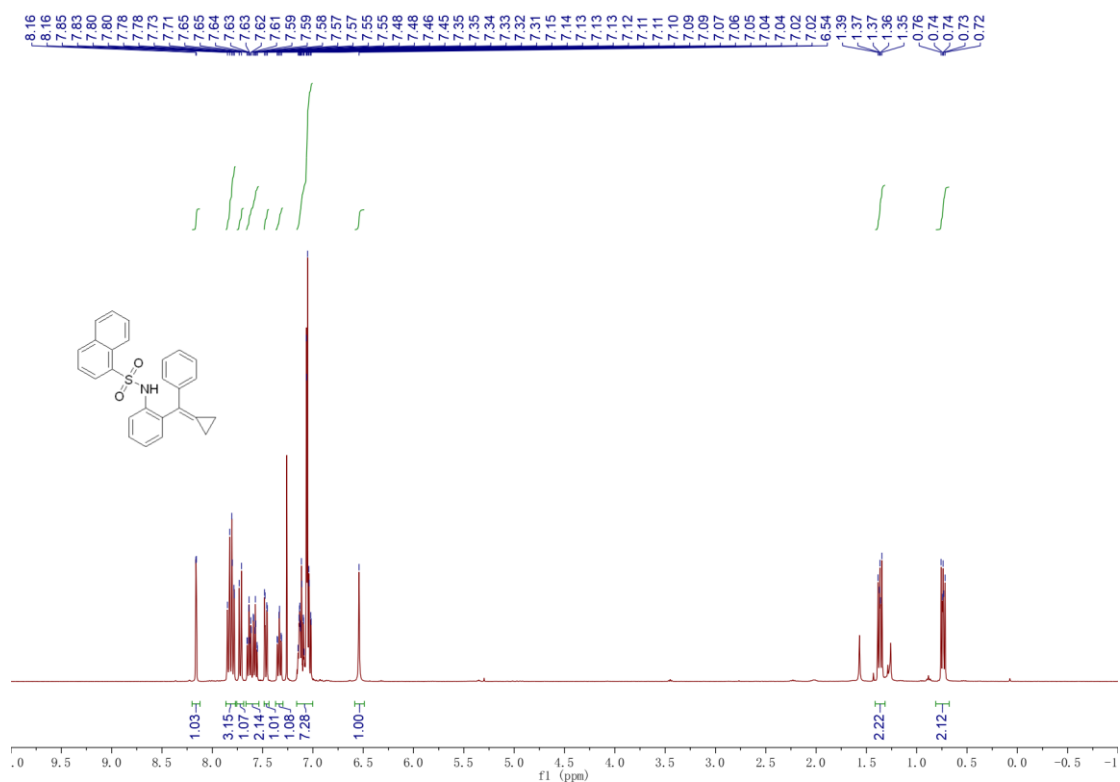


Figure S15. ¹H NMR (500 MHz, CDCl₃) spectrum of 1e

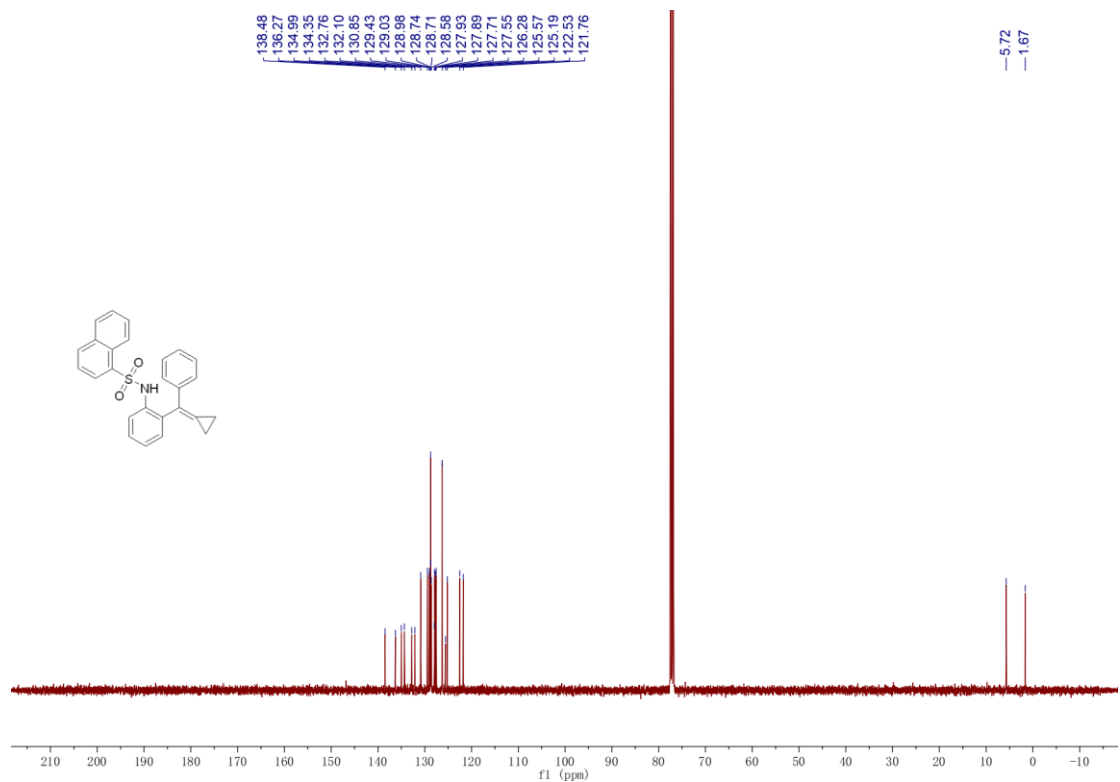


Figure S16. ¹³C NMR (126 MHz, CDCl₃) spectrum of 1e

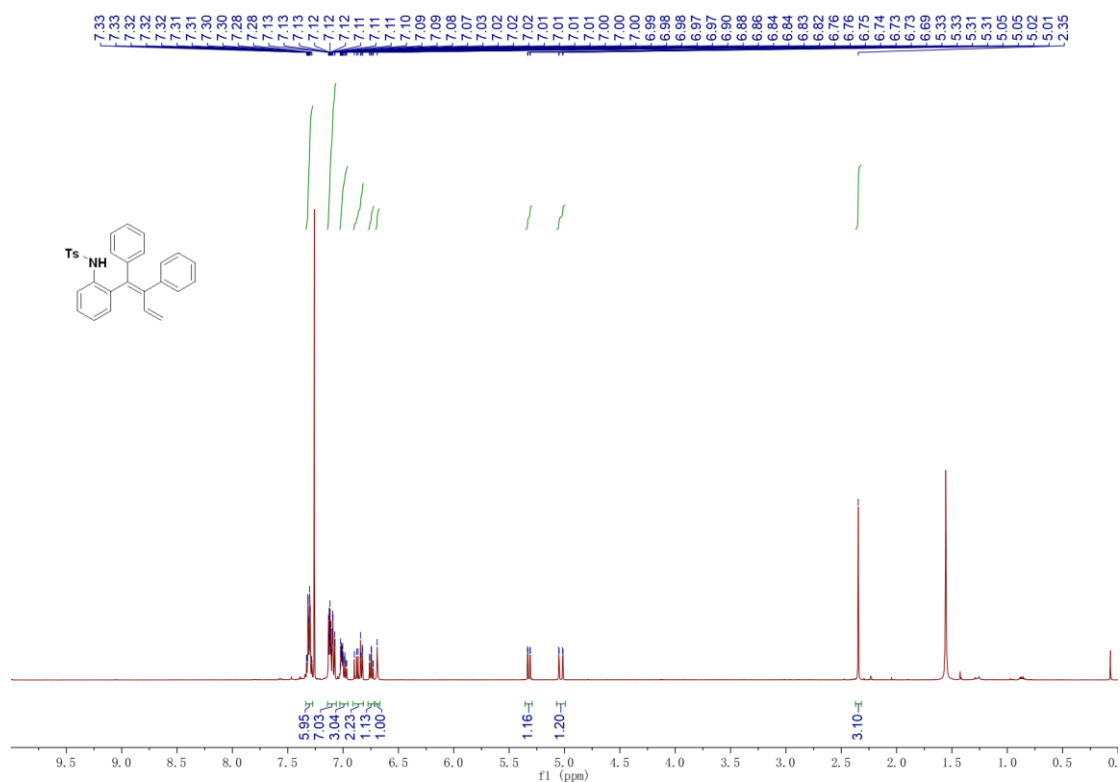


Figure S17. ¹H NMR (500 MHz, CDCl₃) spectrum of 3aa

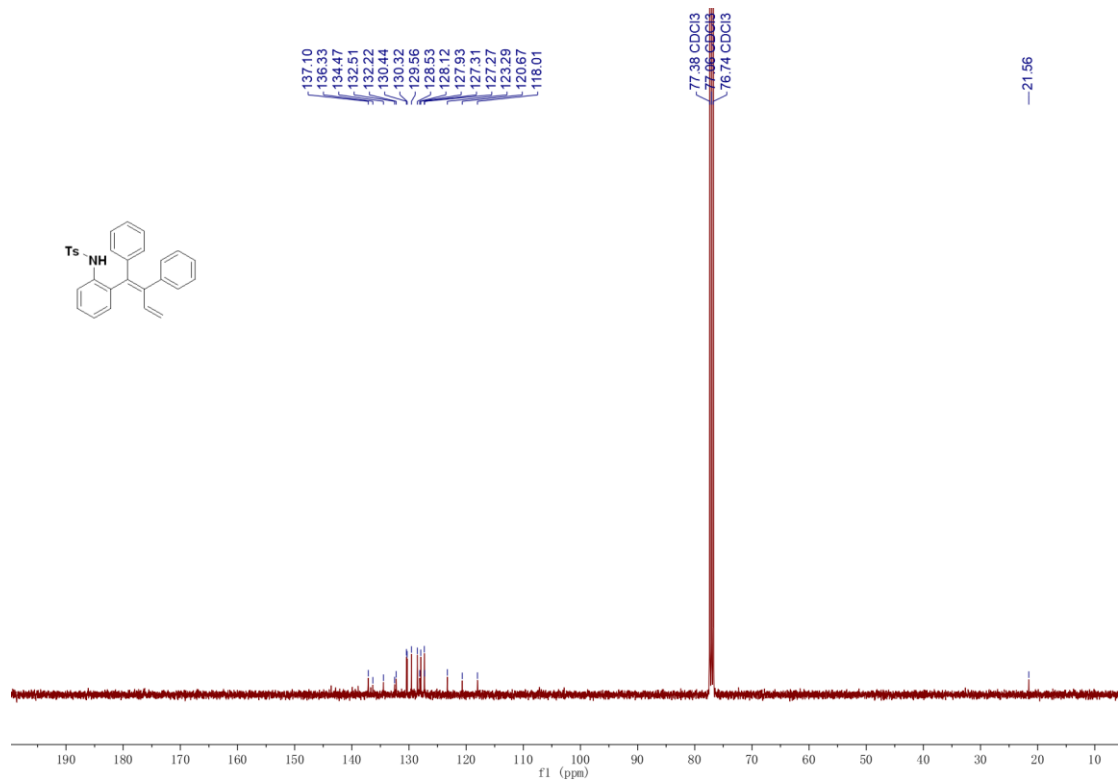


Figure S18. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3aa

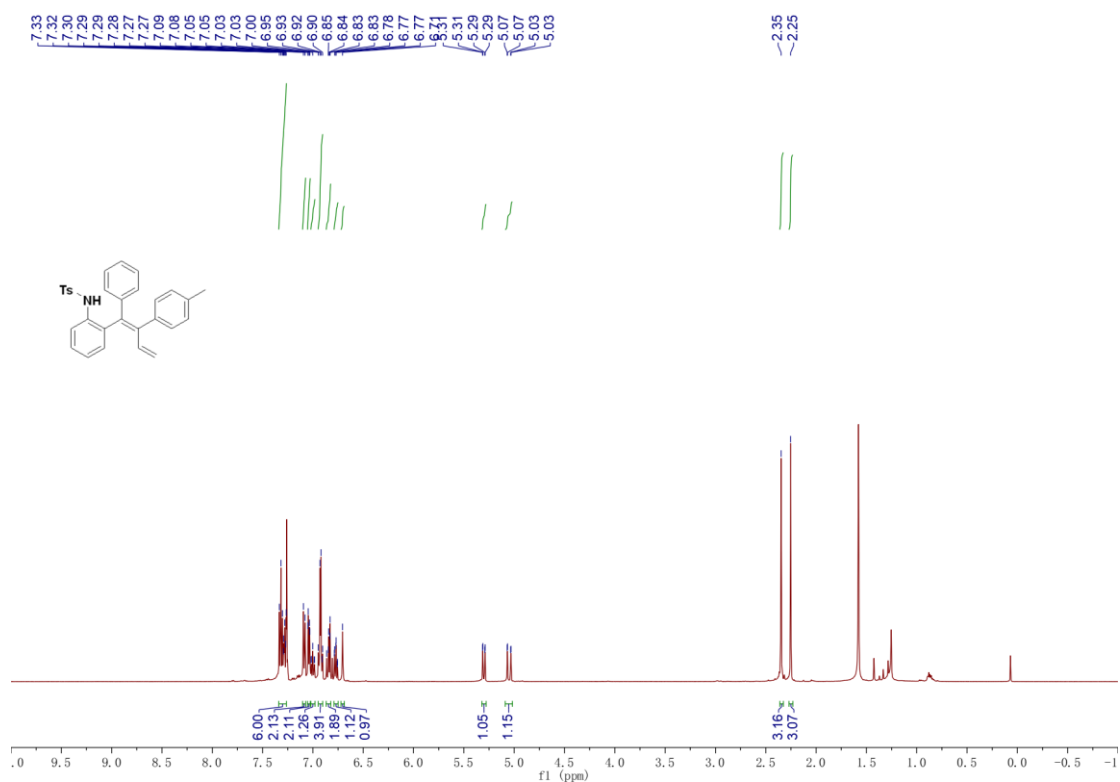


Figure S19. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ab

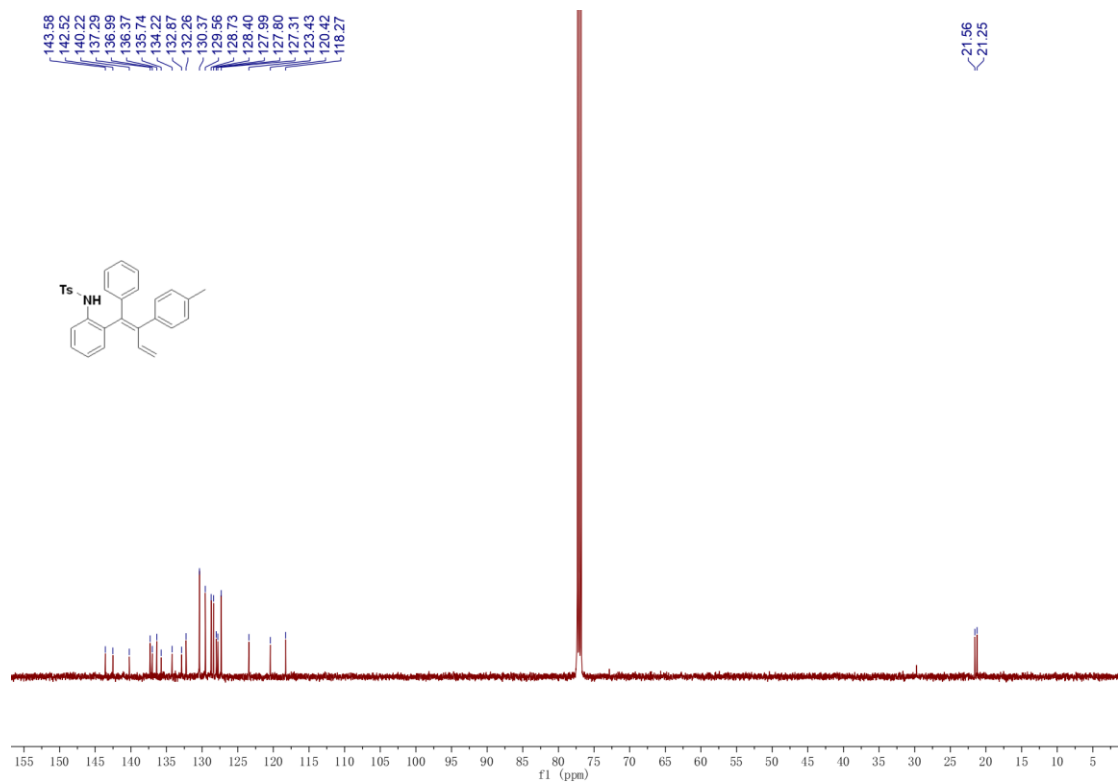


Figure S20. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ab

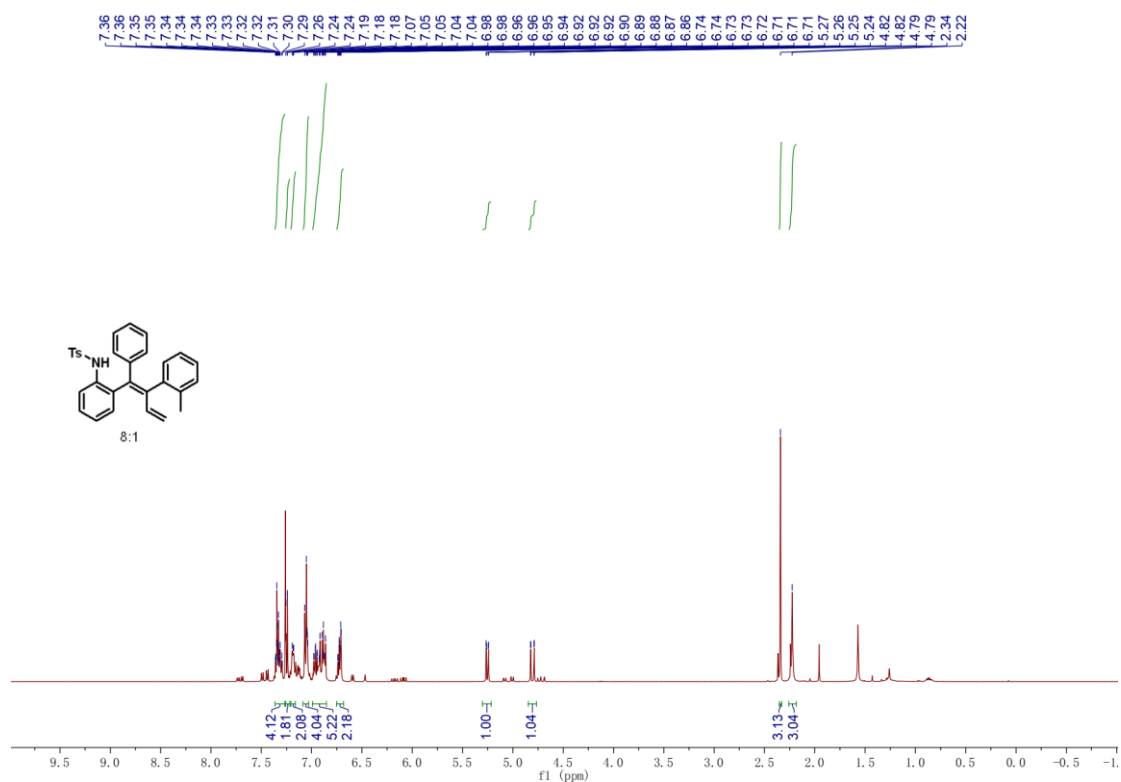


Figure S21. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ac

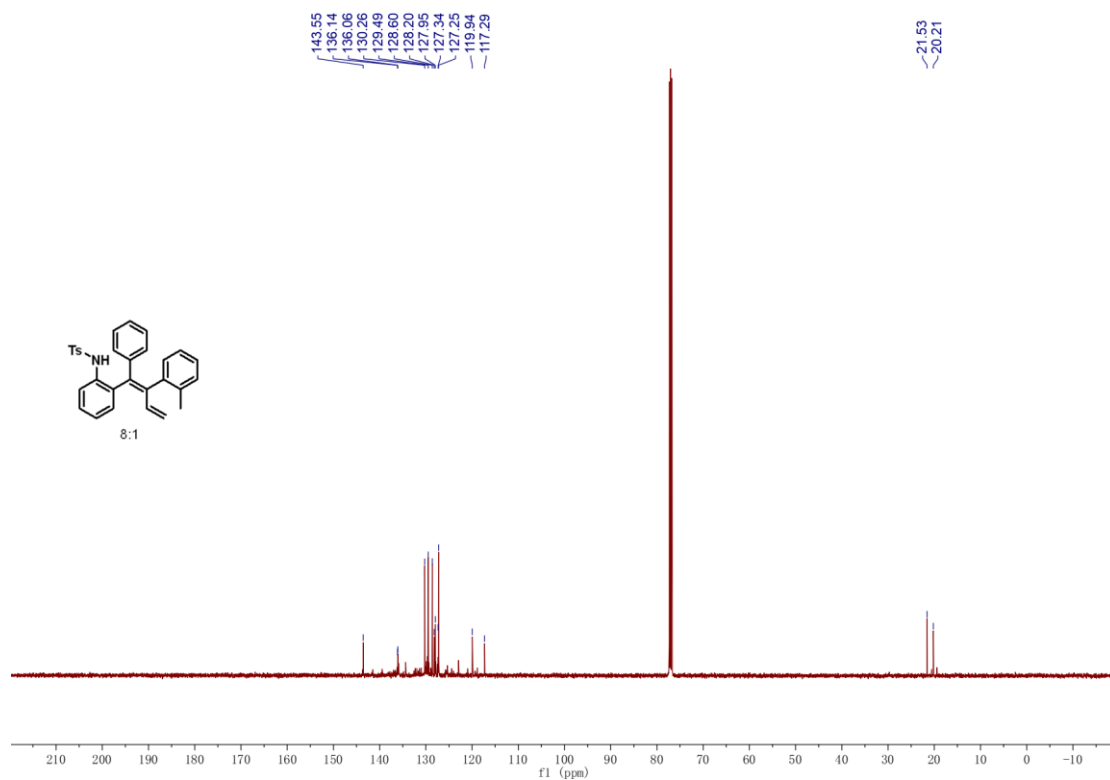


Figure S22. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ac

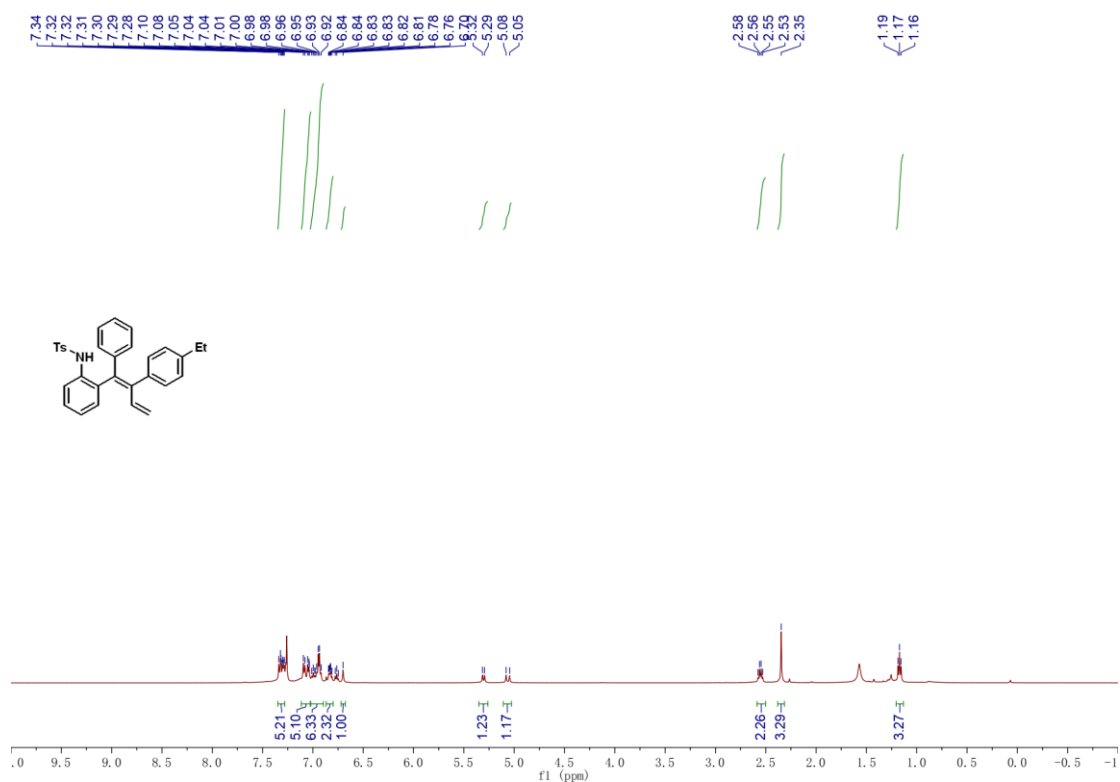


Figure S23. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ad

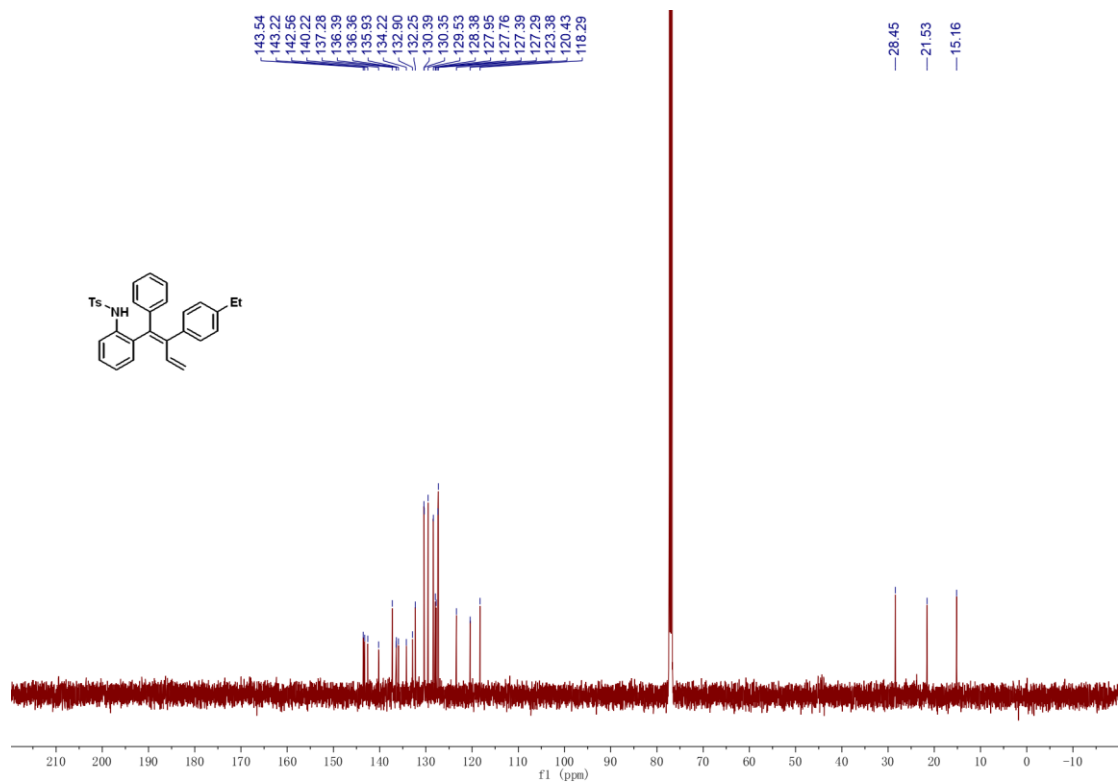


Figure S24. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ad

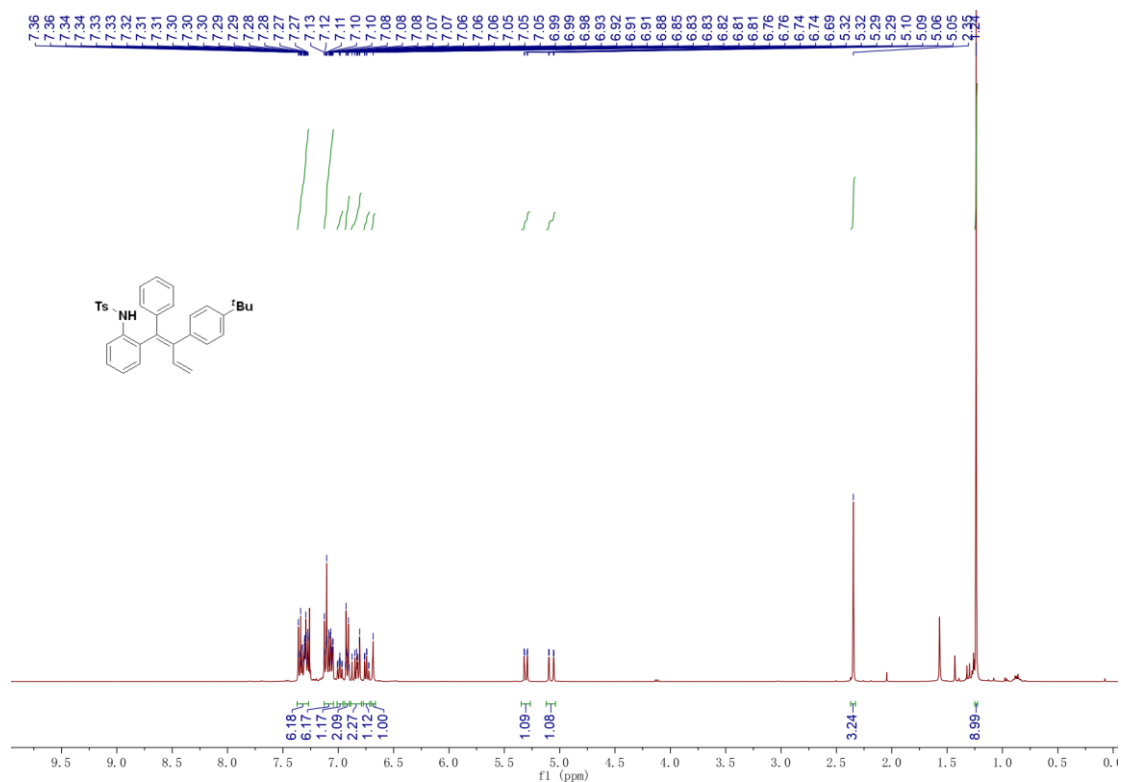


Figure S25. ^1H NMR (500 MHz, CDCl_3) spectrum of 3ae

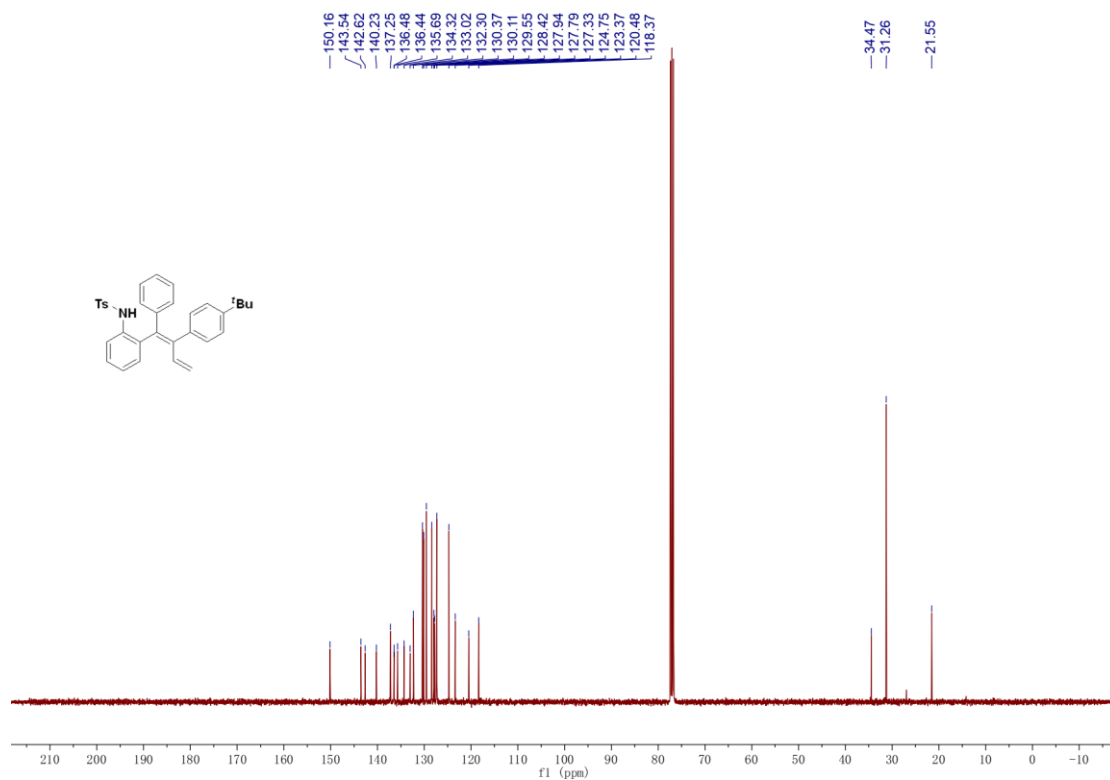


Figure S26. ^{13}C NMR (126 MHz, CDCl_3) spectrum of 3ae

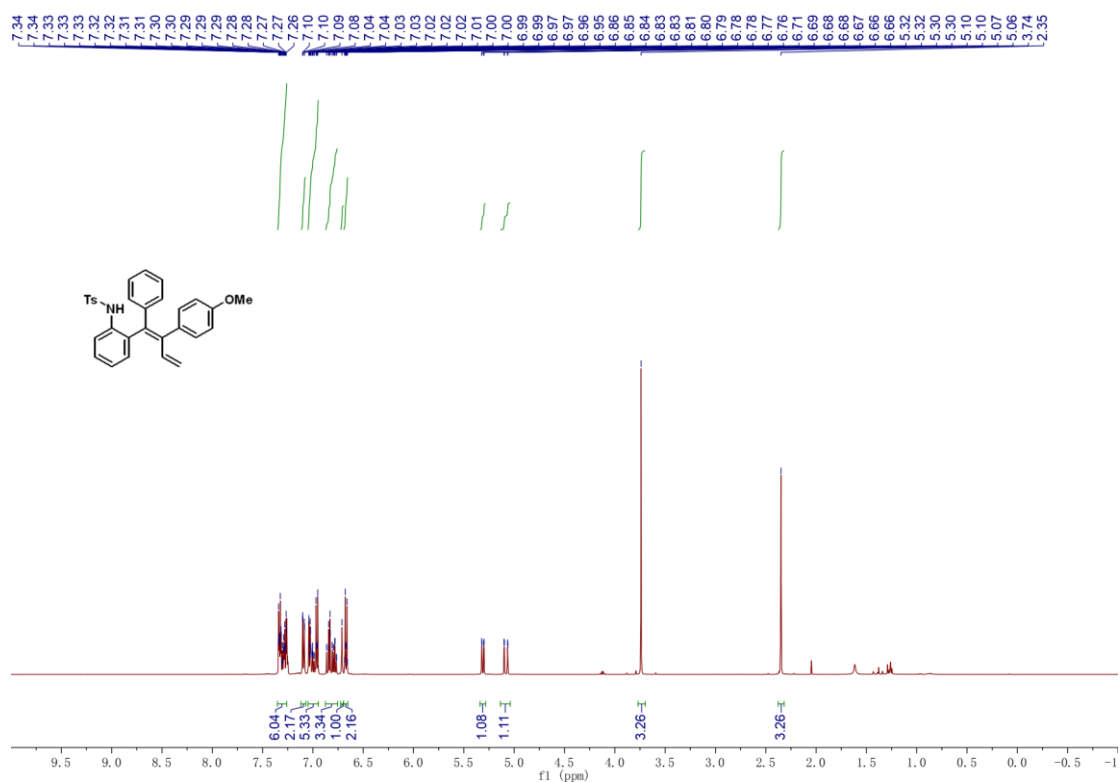


Figure S27. ¹H NMR (500 MHz, CDCl₃) spectrum of 3af

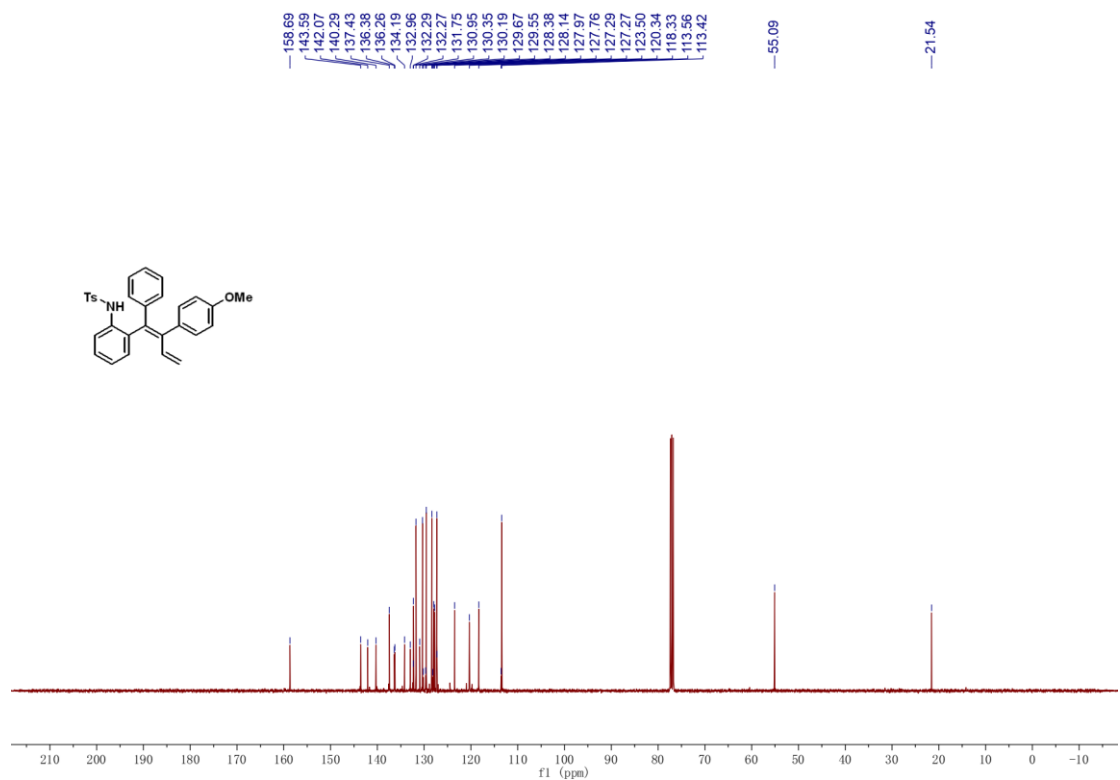


Figure S28. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3af

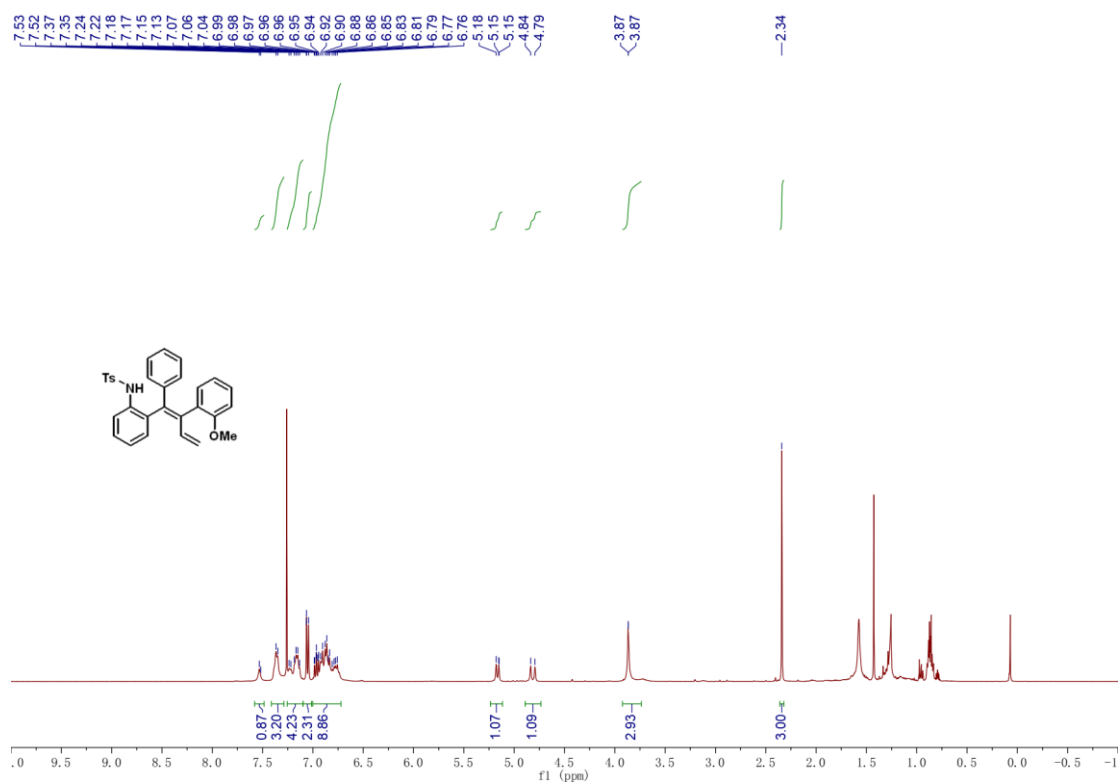


Figure S29. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ag

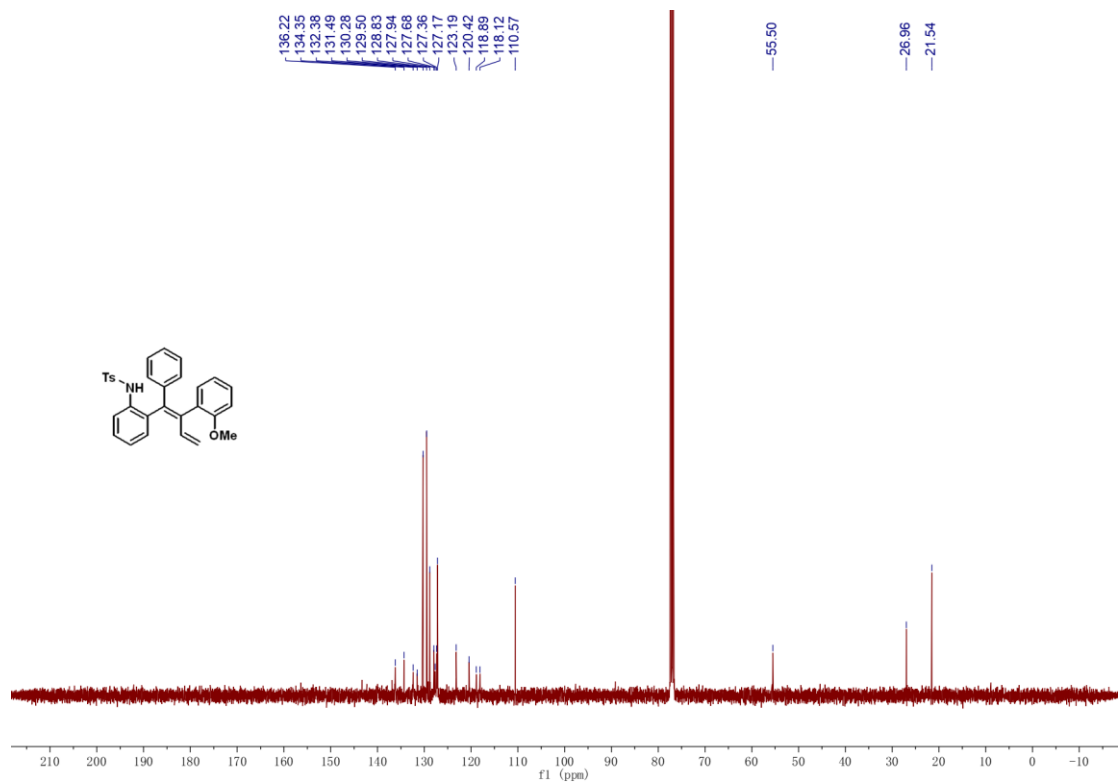


Figure S30. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ag

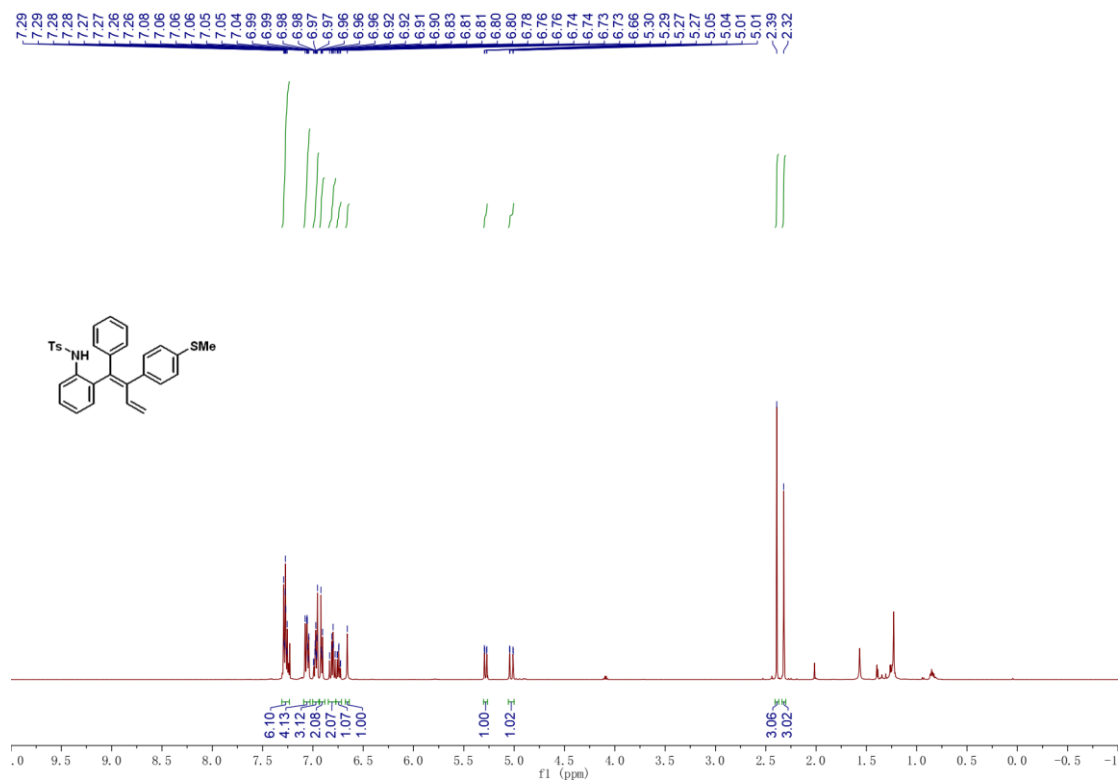


Figure S31. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ai

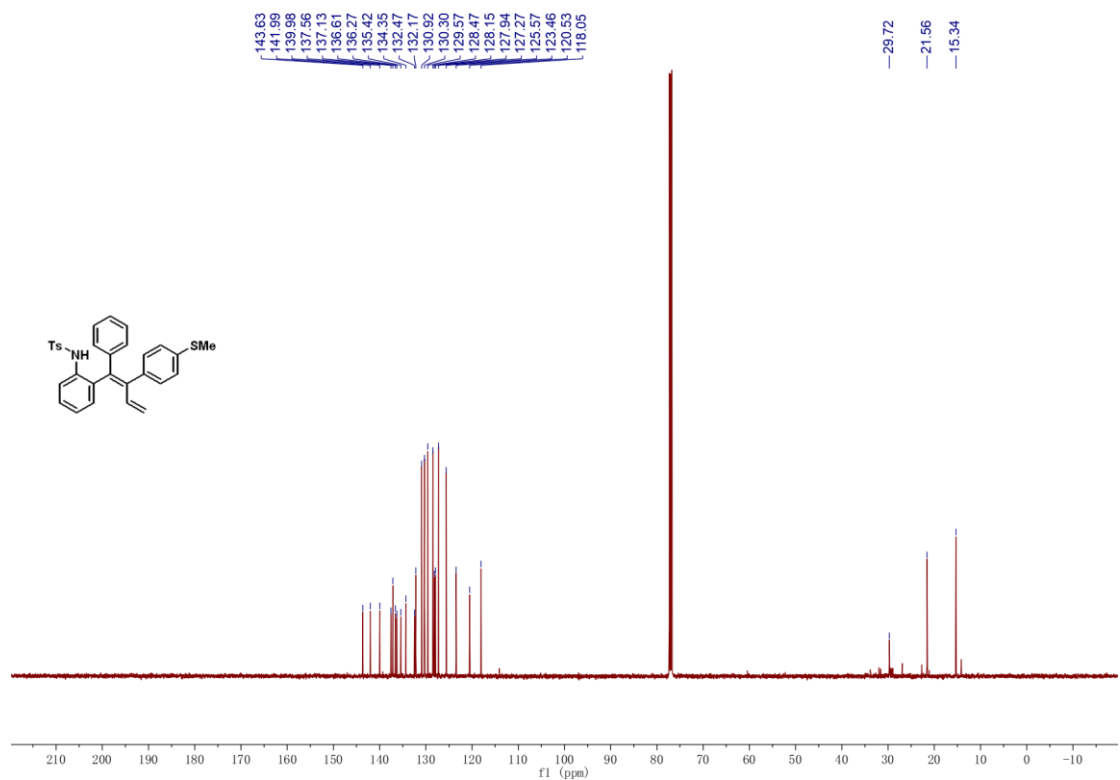


Figure S32. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ai

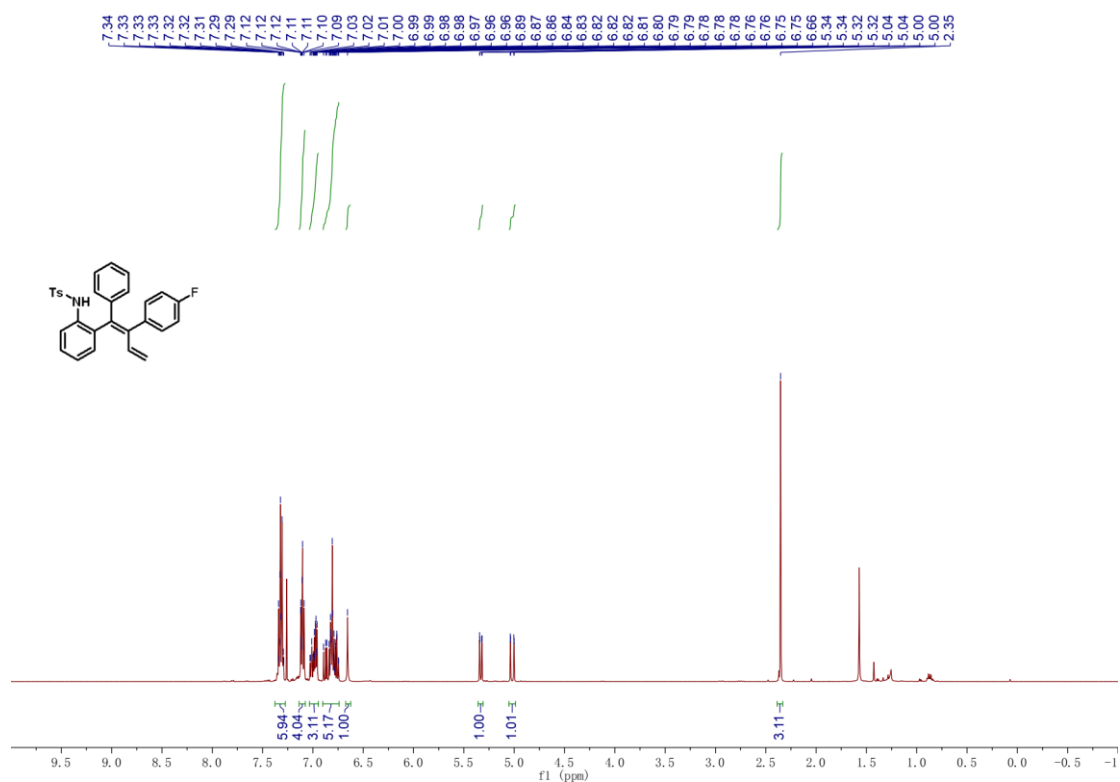


Figure S33. ¹H NMR (500 MHz, CDCl₃) spectrum of 3aj

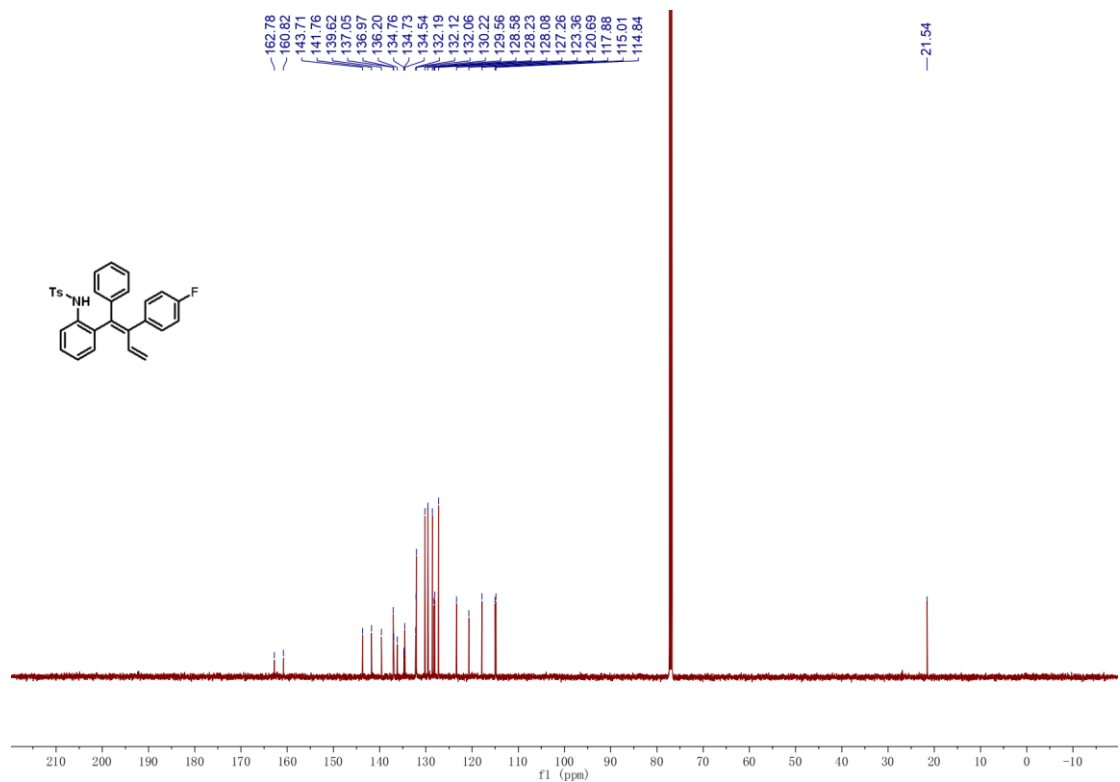


Figure S34. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3aj

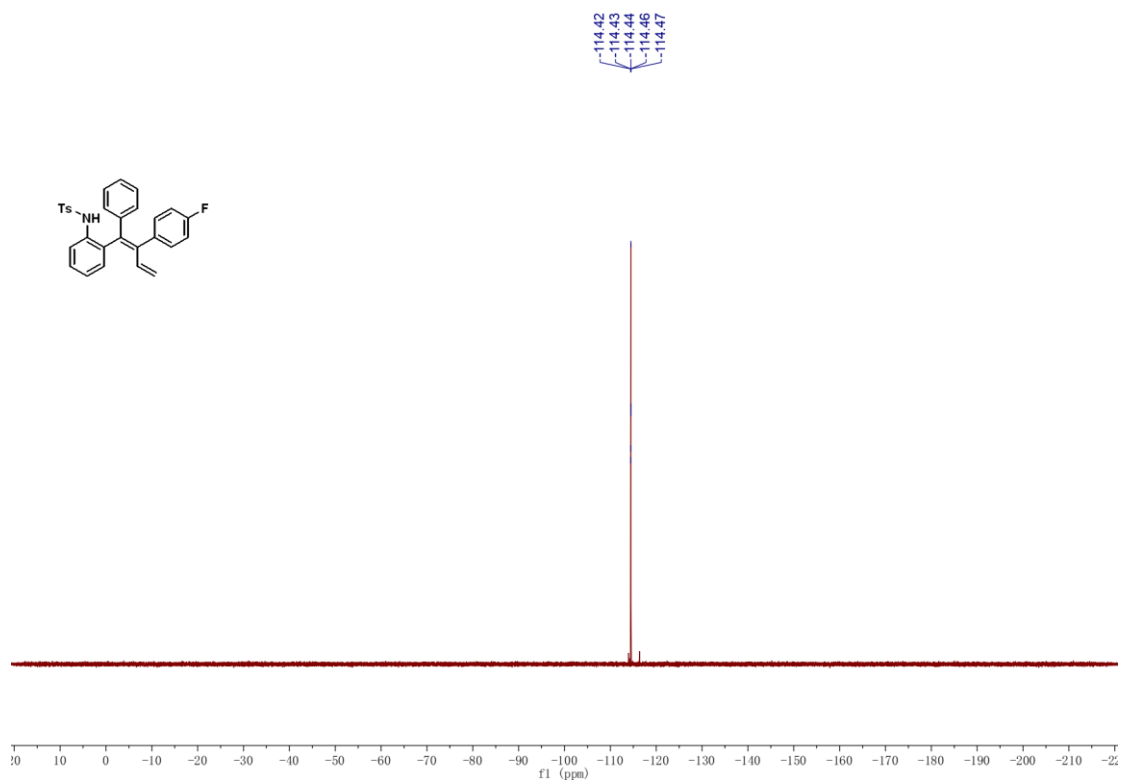


Figure S35. ¹⁹F NMR (377 MHz, Chloroform-d) spectrum of 3aj

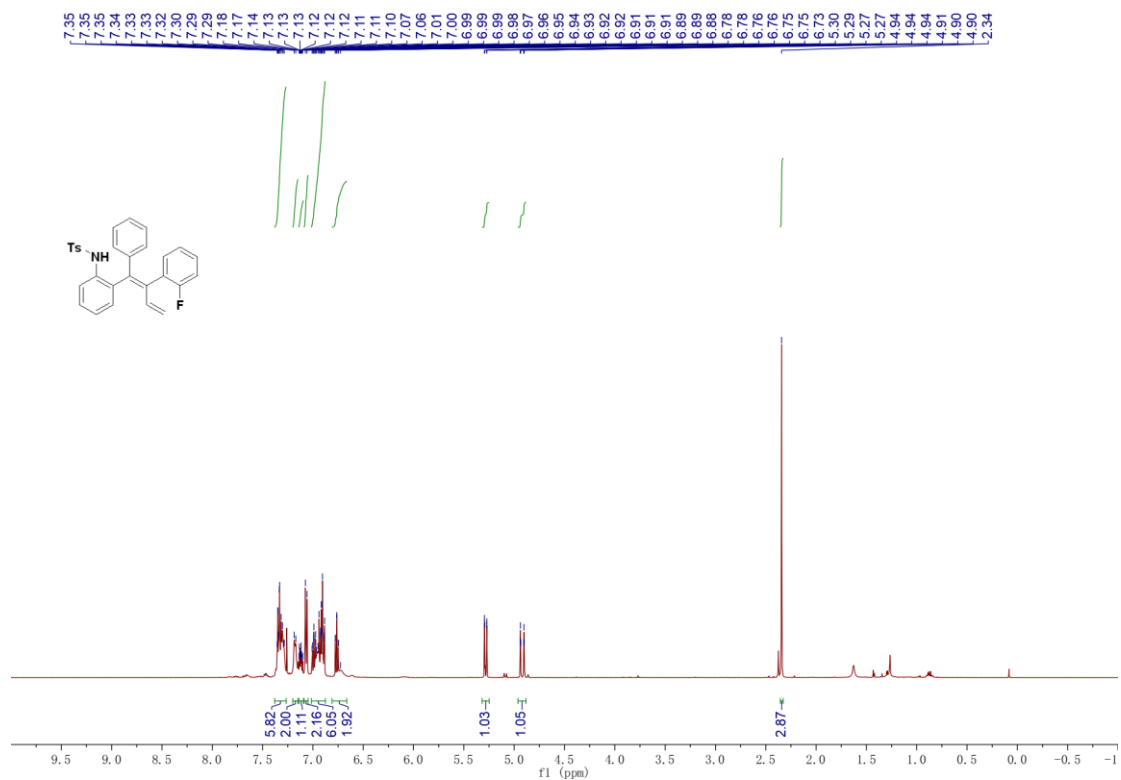


Figure S36. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ak

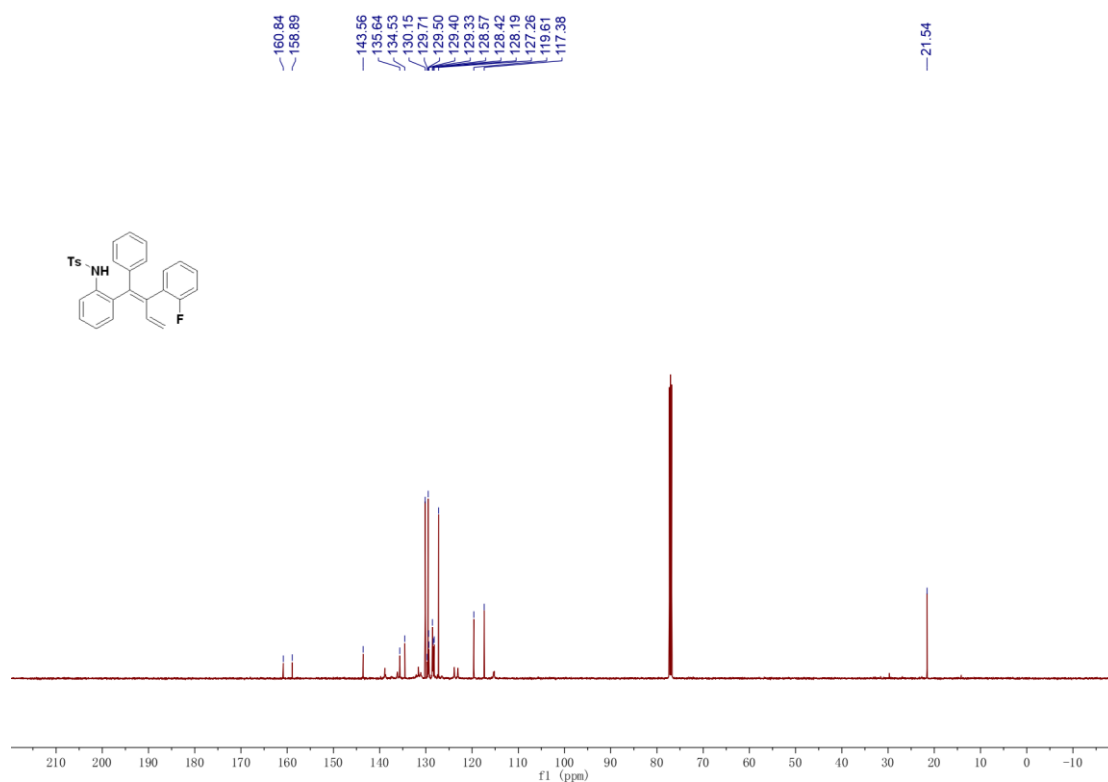


Figure S37. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ak

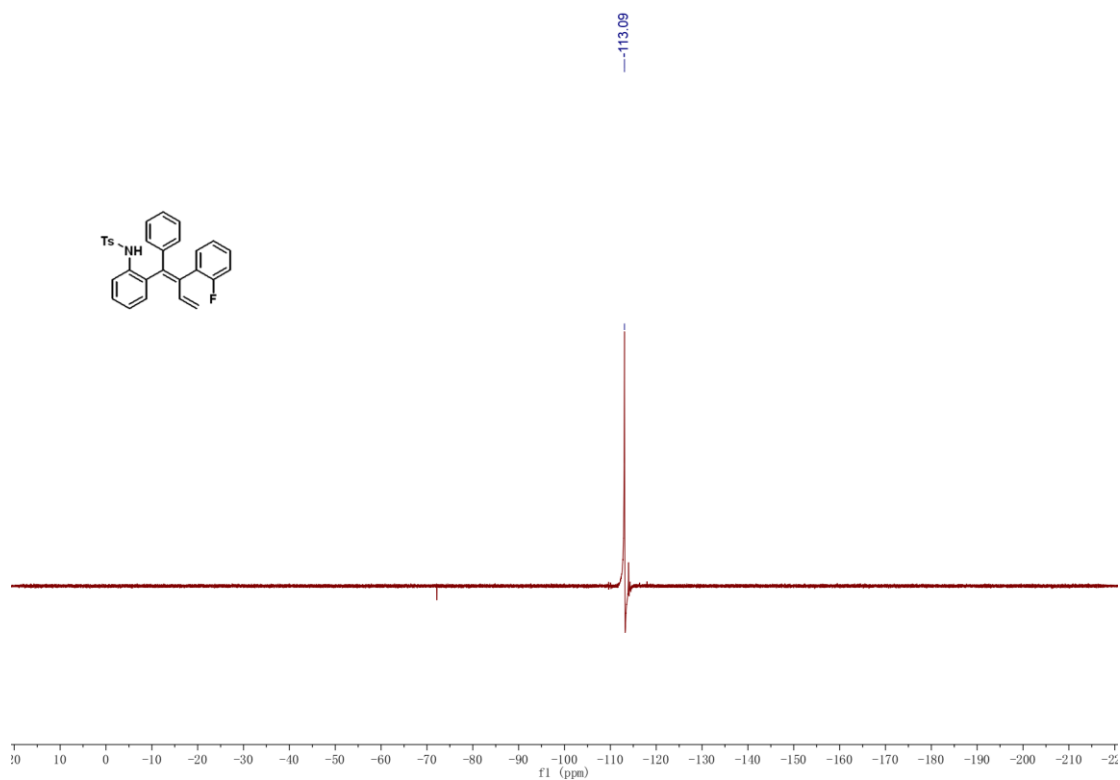


Figure S38. ¹⁹F NMR (377 MHz, Chloroform-*d*) spectrum of 3ak

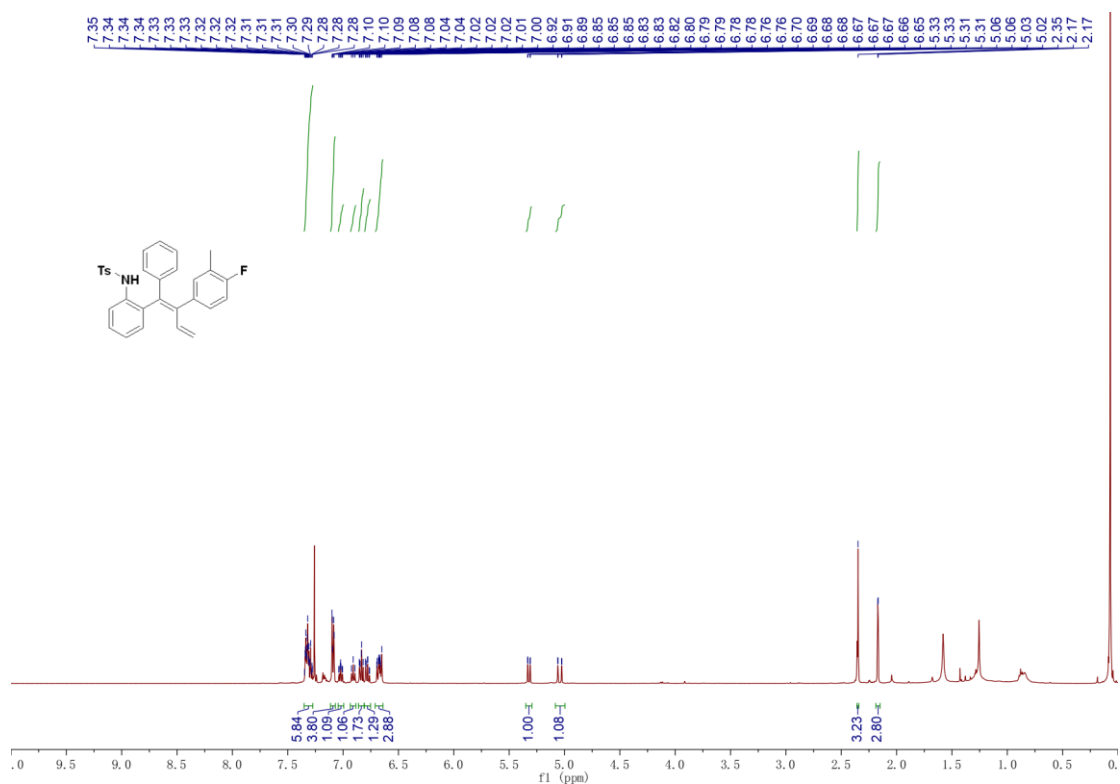


Figure S39. ¹H NMR (500 MHz, CDCl₃) spectrum of 3al

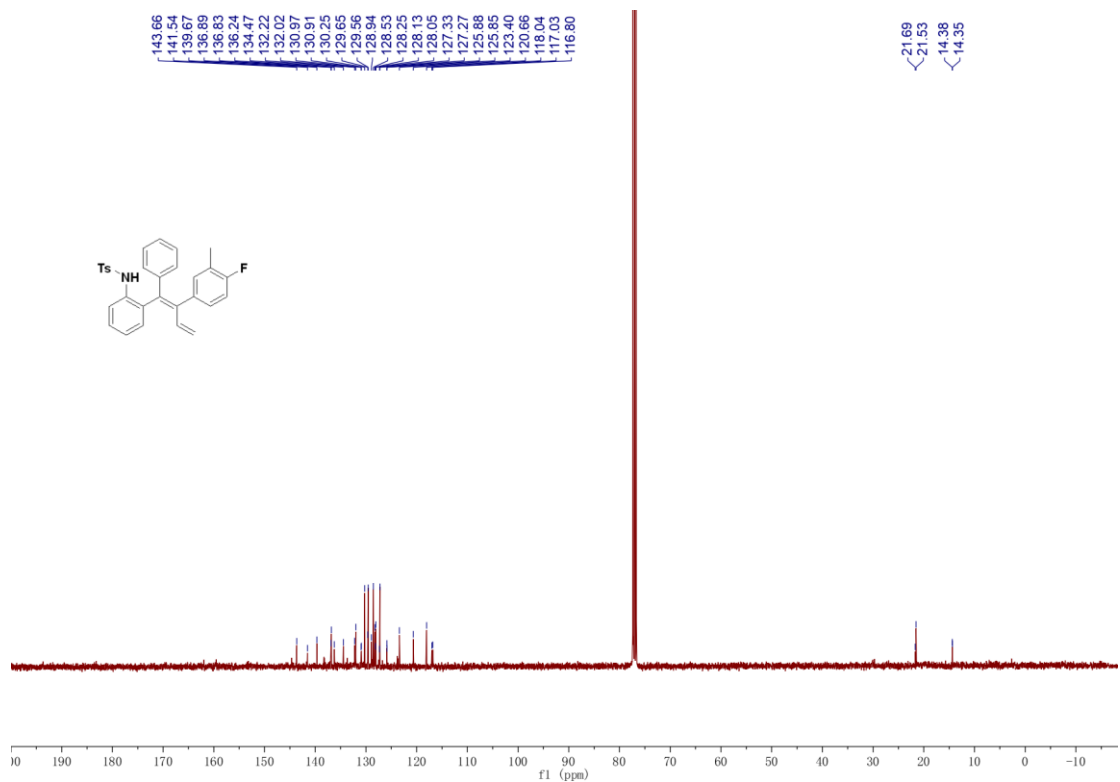


Figure S40. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3al

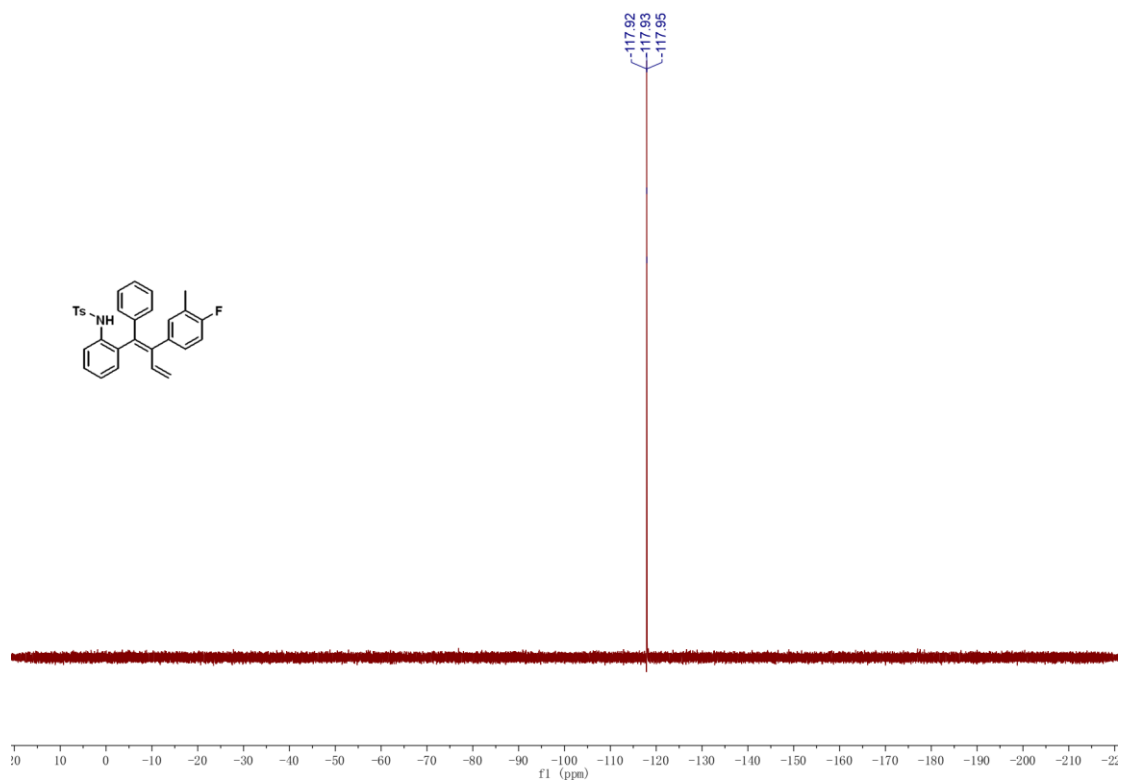


Figure S41. ¹⁹F NMR (377 MHz, Chloroform-*d*) spectrum of 3al

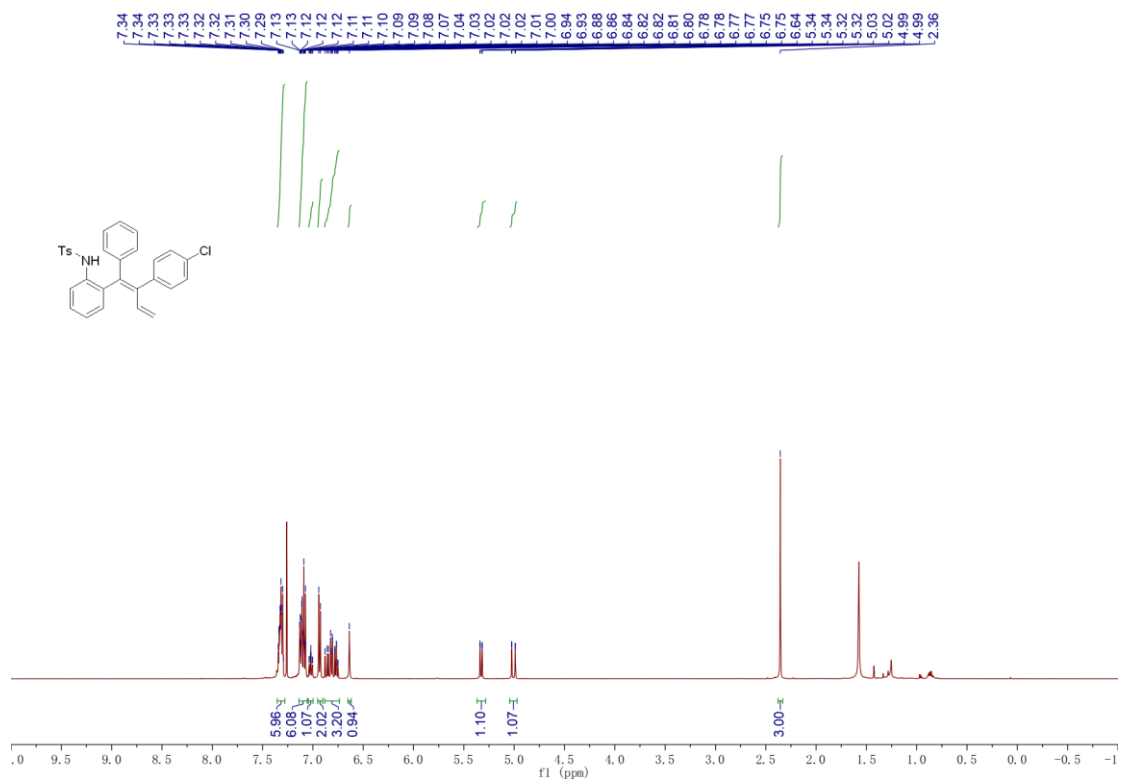


Figure S42. ¹H NMR (500 MHz, CDCl₃) spectrum of 3am

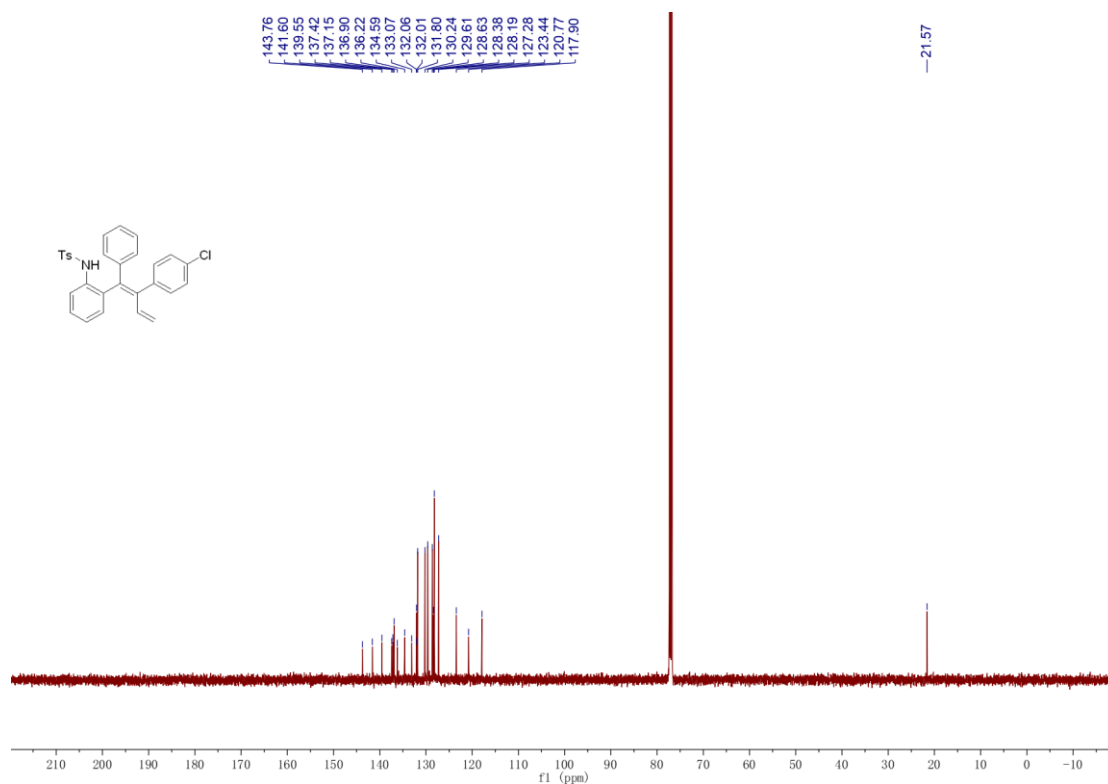


Figure S43. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3am

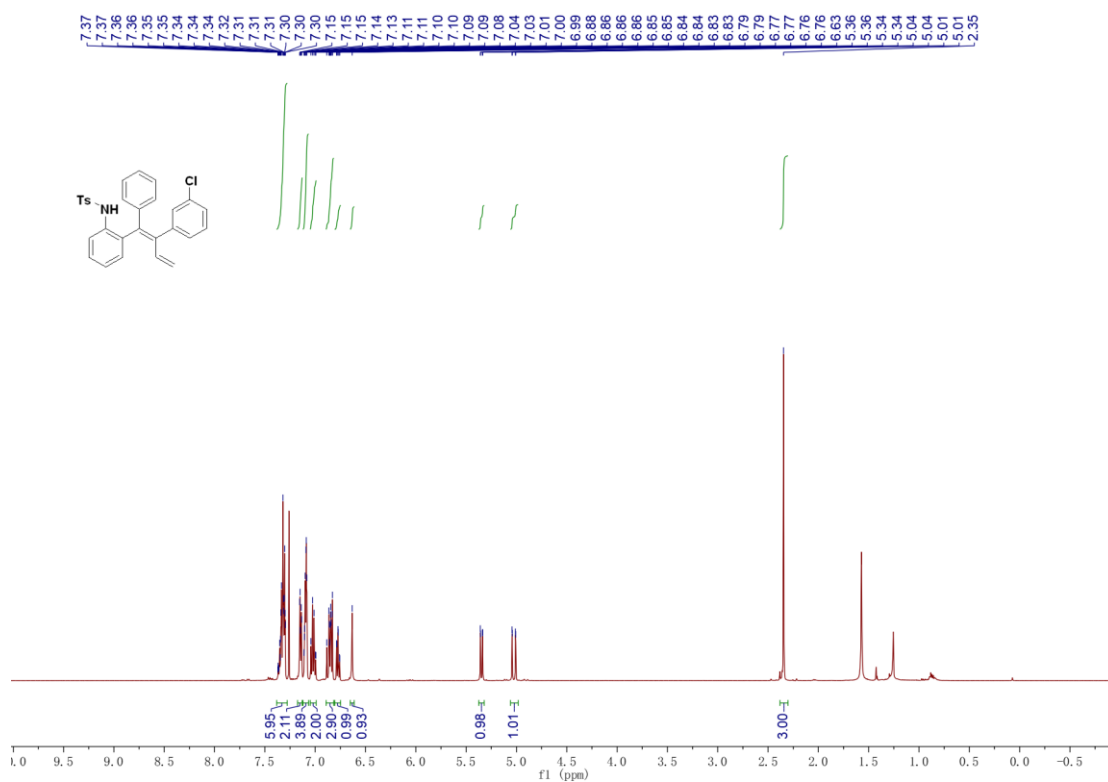


Figure S44. ¹H NMR (500 MHz, CDCl₃) spectrum of 3an

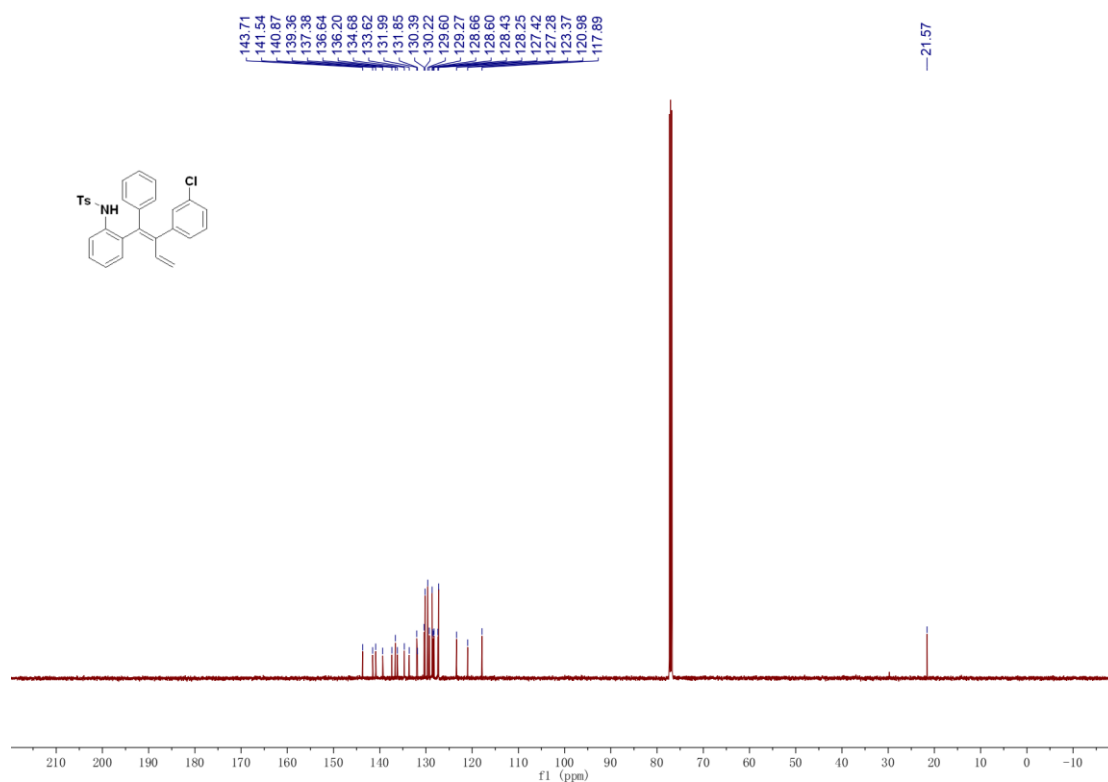


Figure S45. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3an

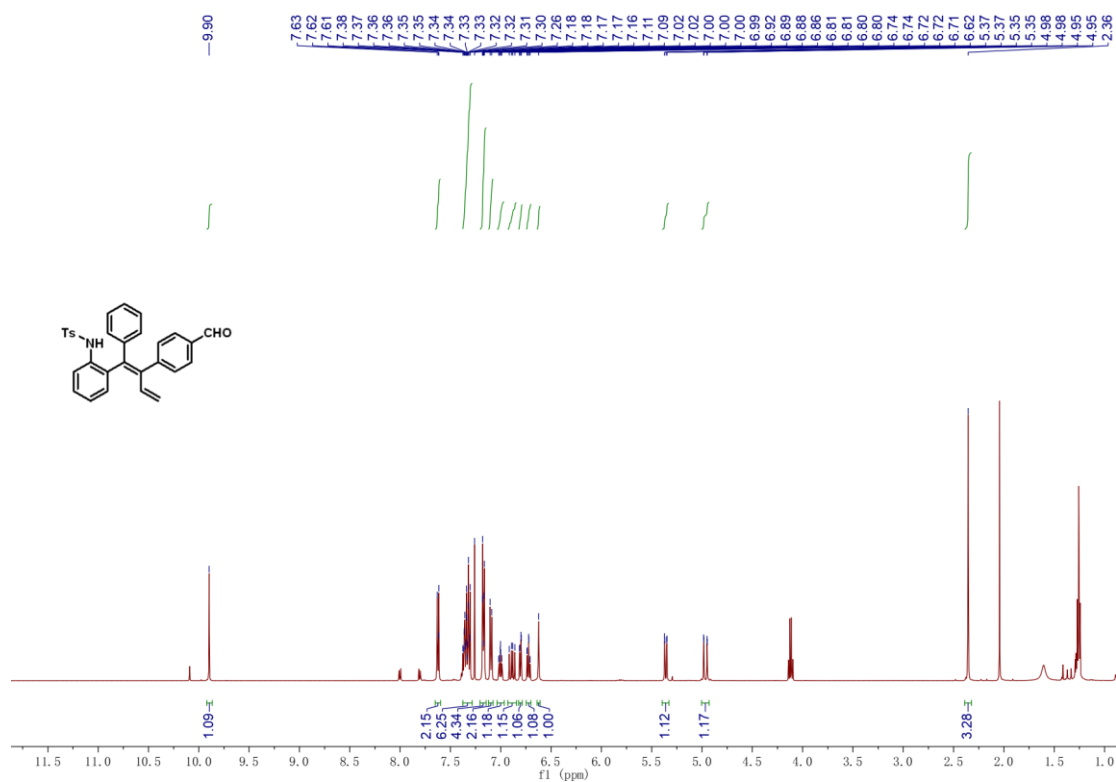


Figure S46. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ao

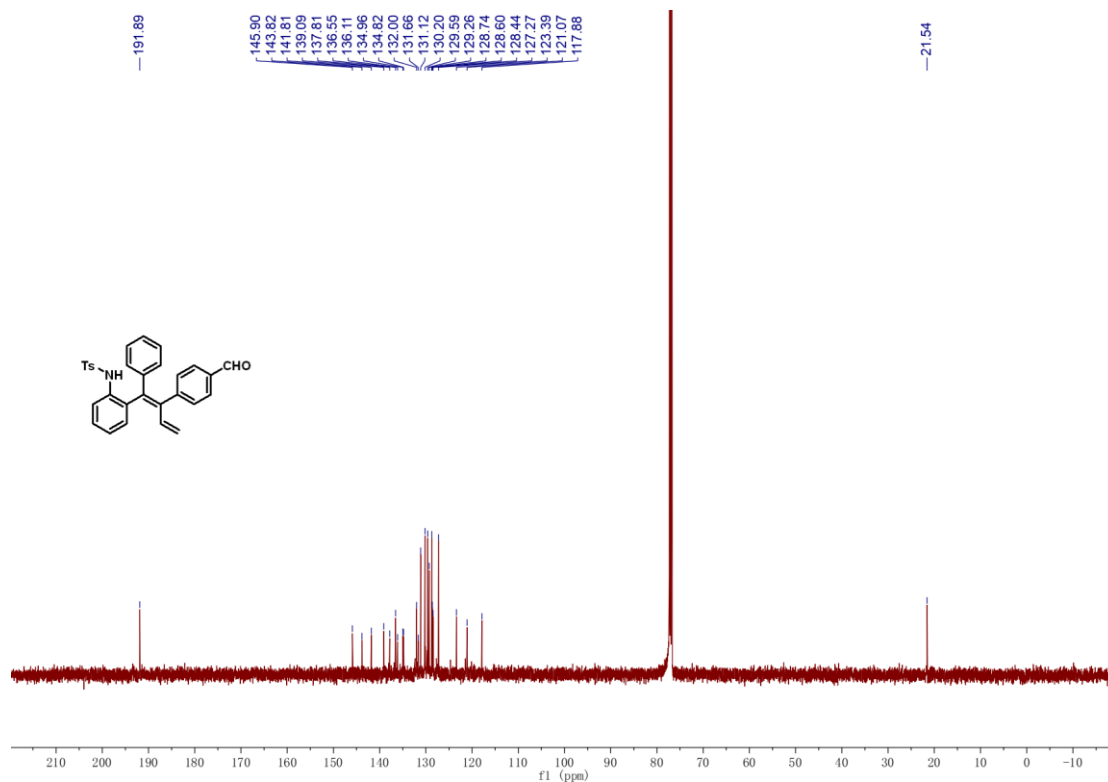


Figure S47. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ao

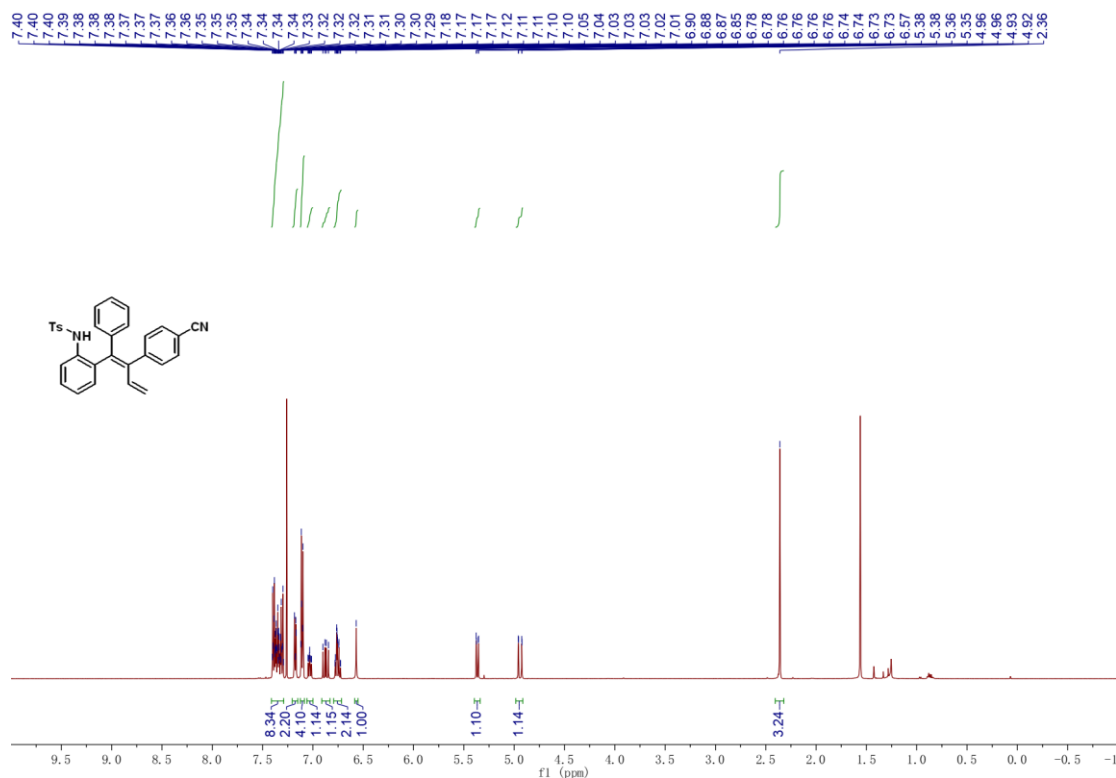


Figure S48. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ap

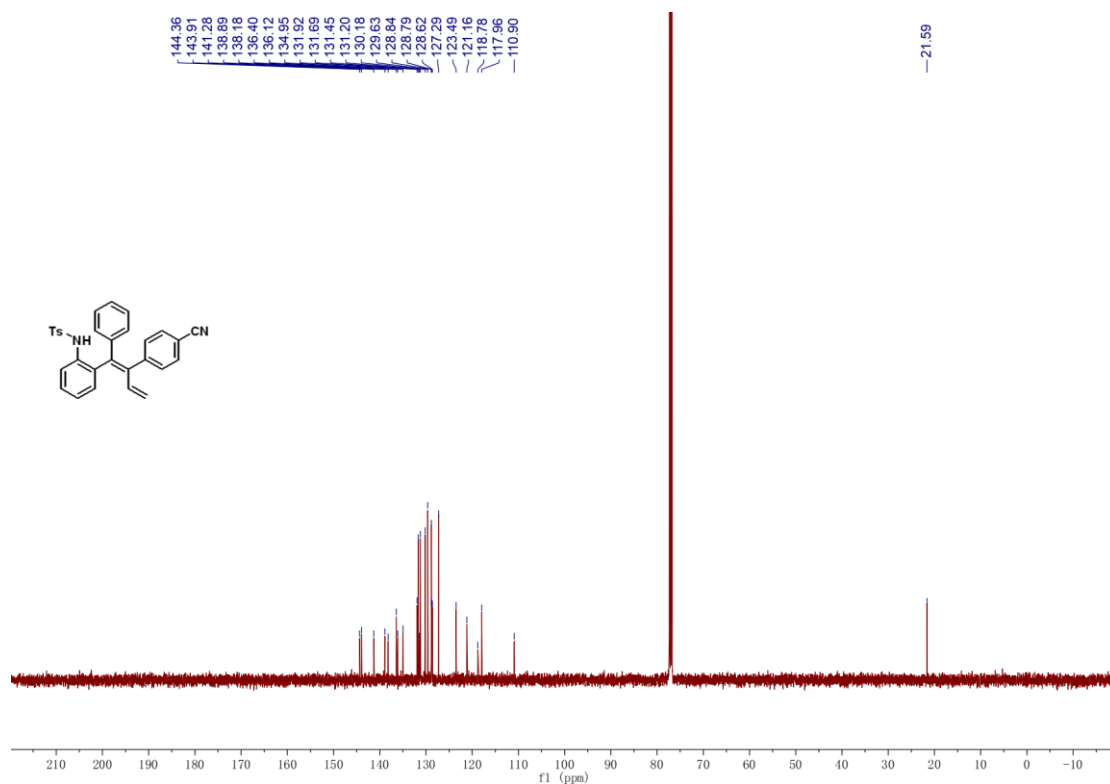


Figure S49. ^{13}C NMR (126 MHz, CDCl_3) spectrum of 3ap

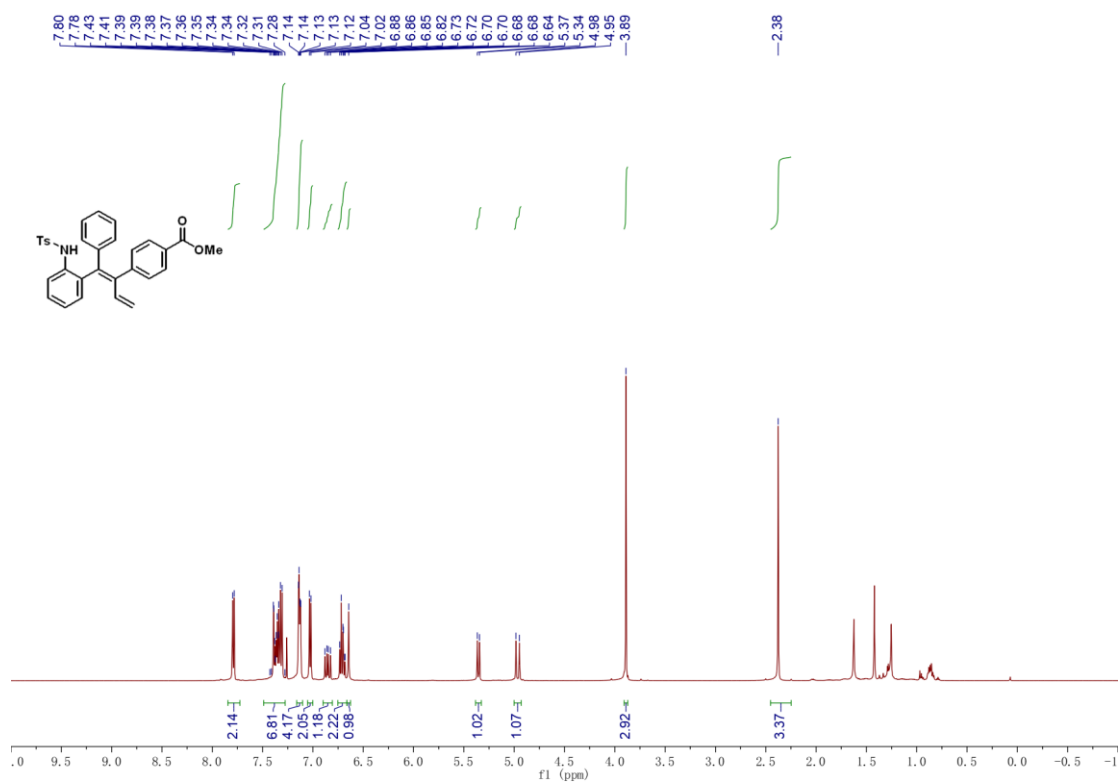


Figure S50. ^1H NMR (500 MHz, CDCl_3) spectrum of 3aq

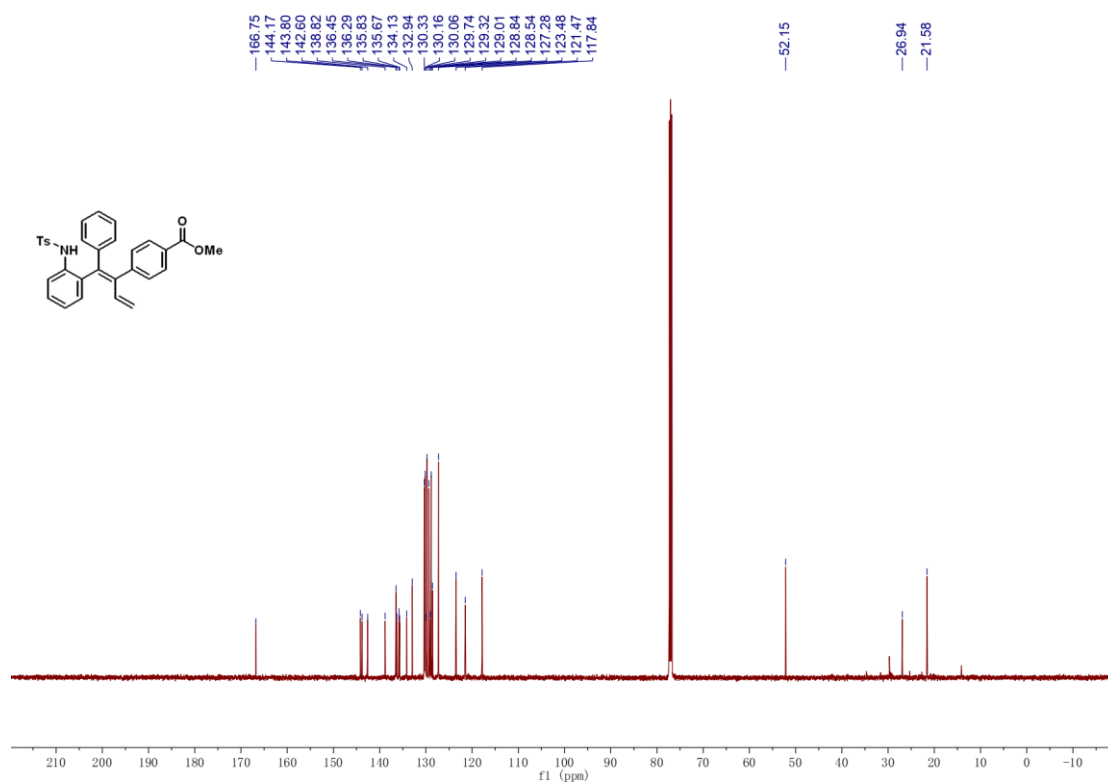


Figure S51. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3aq

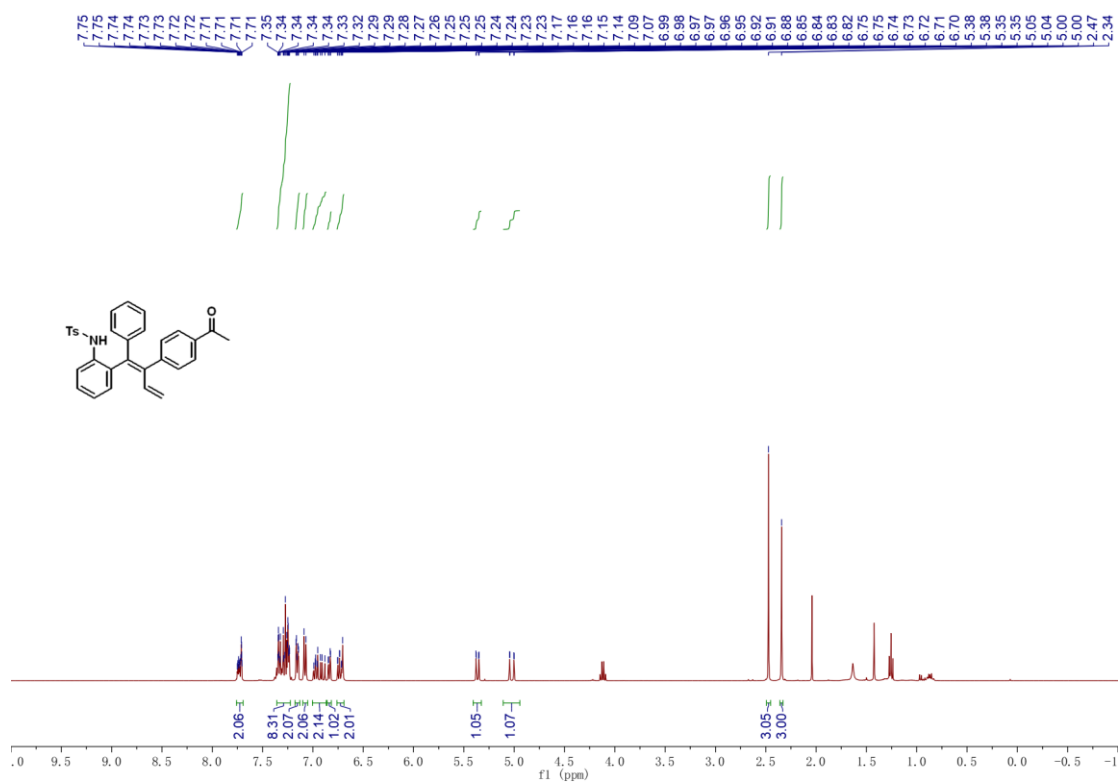


Figure S52. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ar

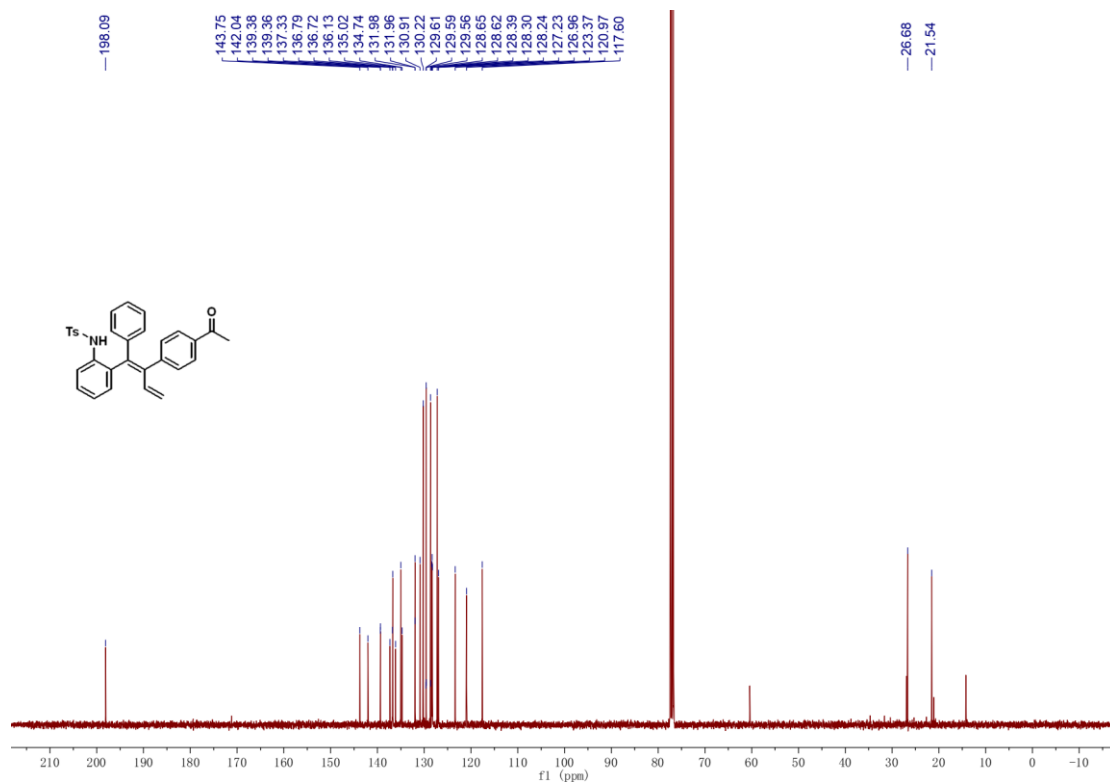


Figure S53. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ar

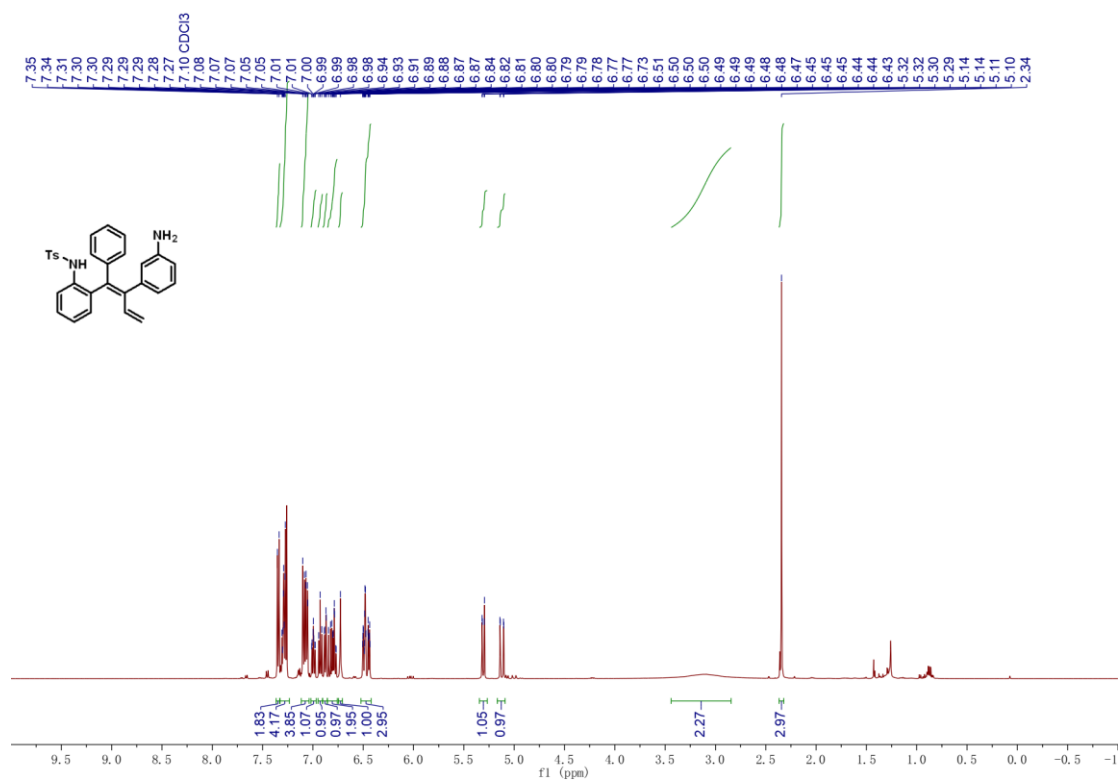


Figure S54. ¹H NMR (500 MHz, CDCl₃) spectrum of 3as

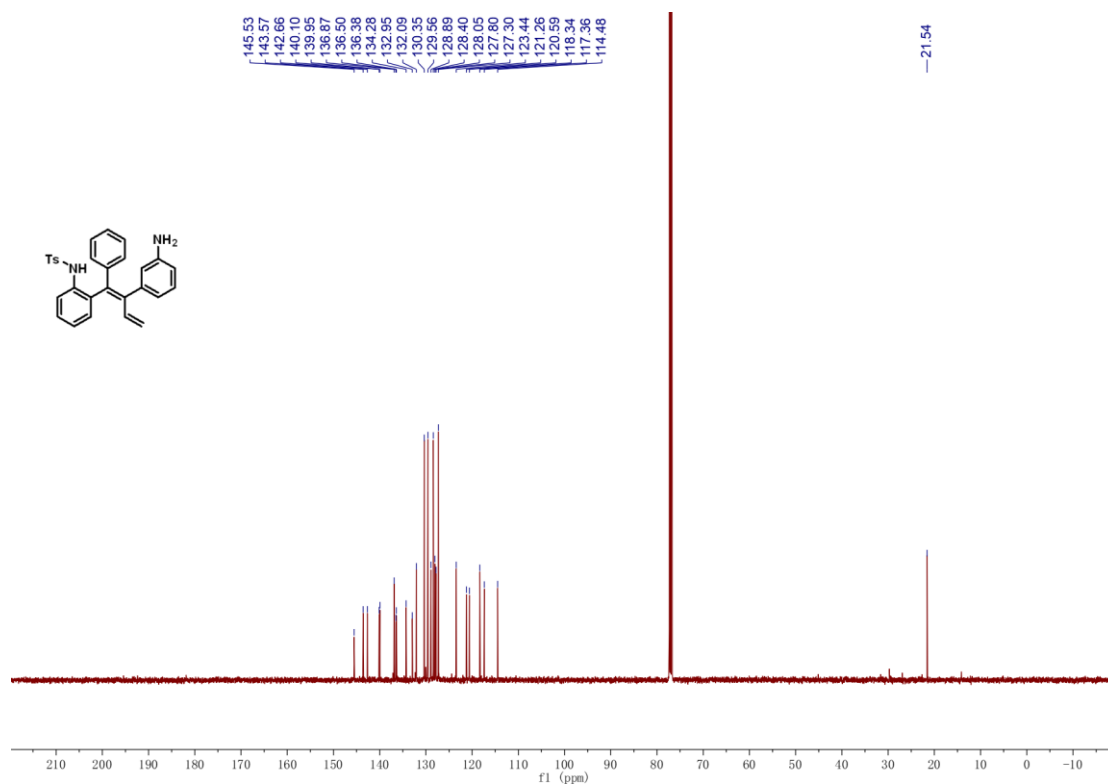


Figure S55. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3as

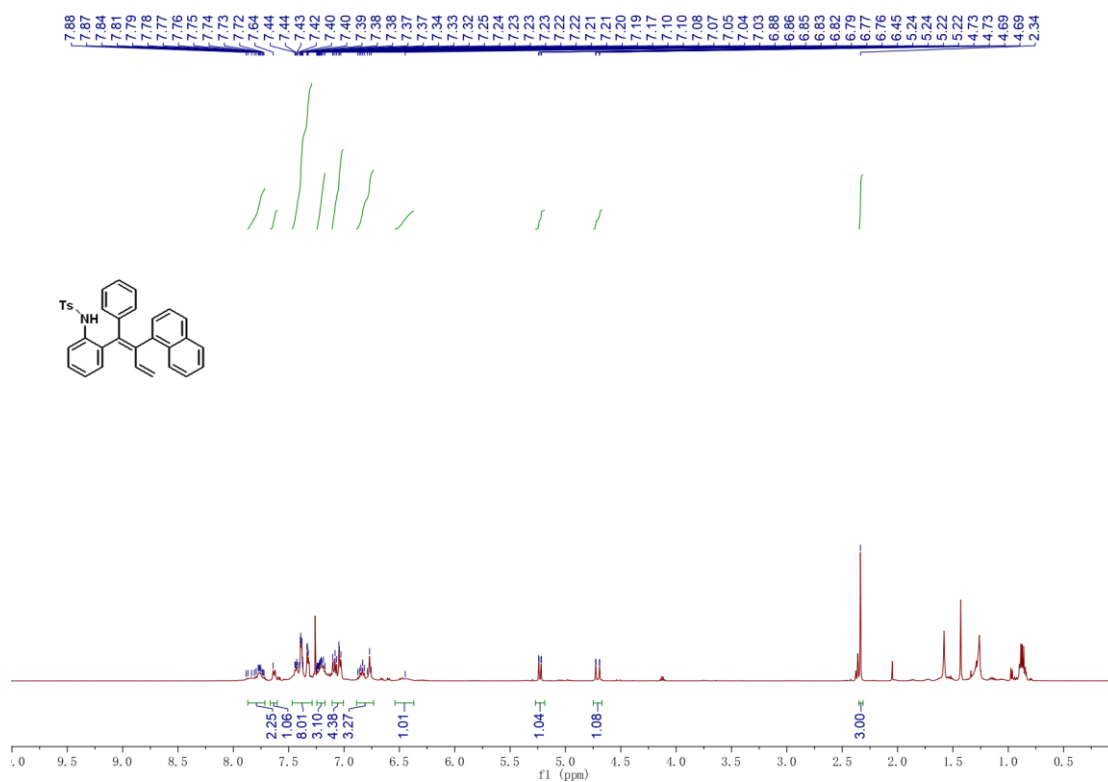


Figure S56. ¹H NMR (500 MHz, CDCl₃) spectrum of 3at

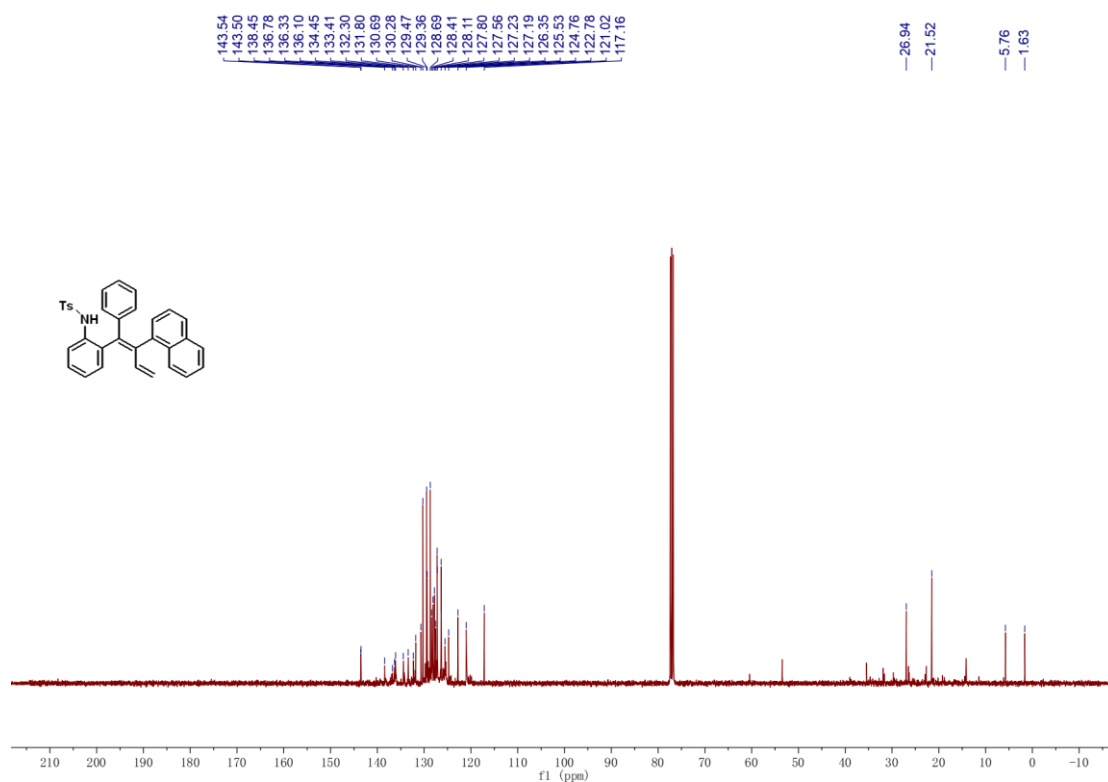


Figure S57. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3at

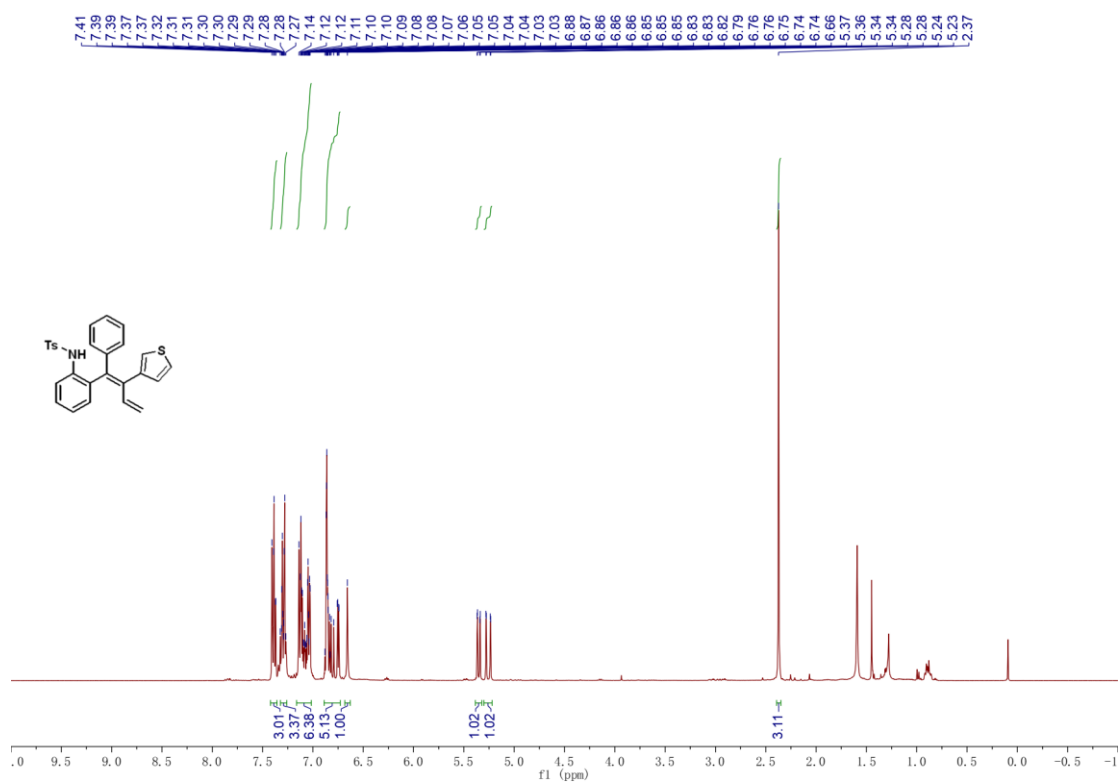


Figure S58. ¹H NMR (500 MHz, CDCl₃) spectrum of 3au

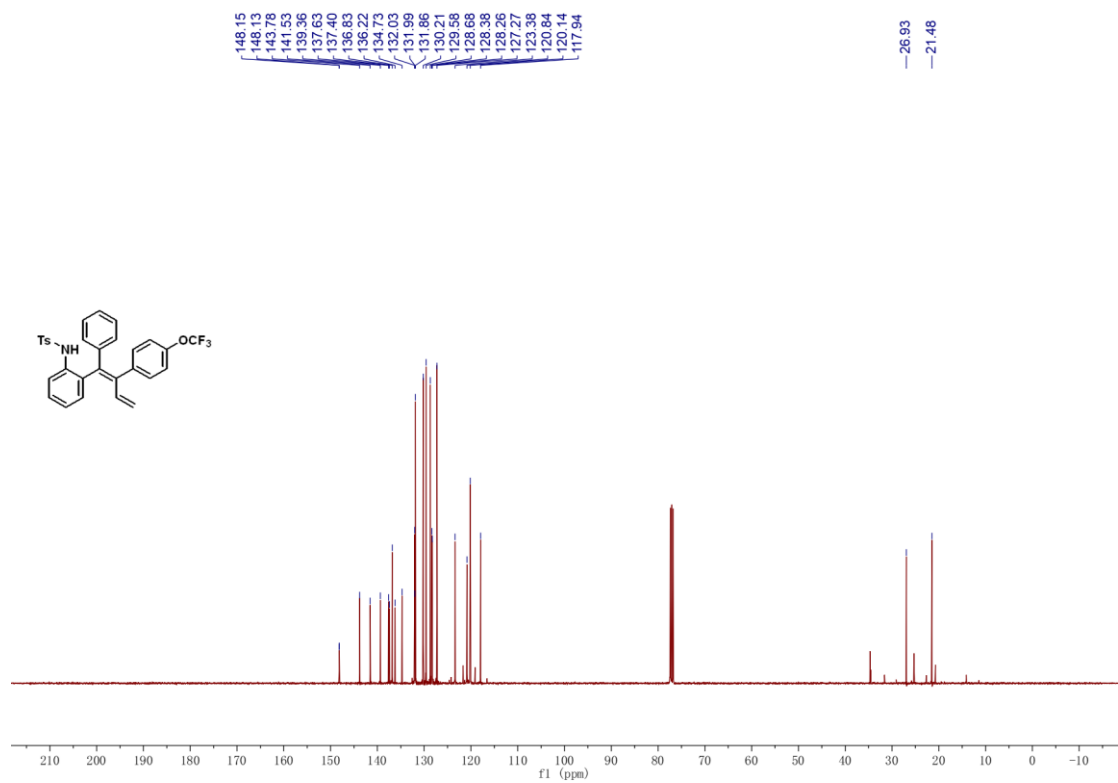


Figure S61. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3av

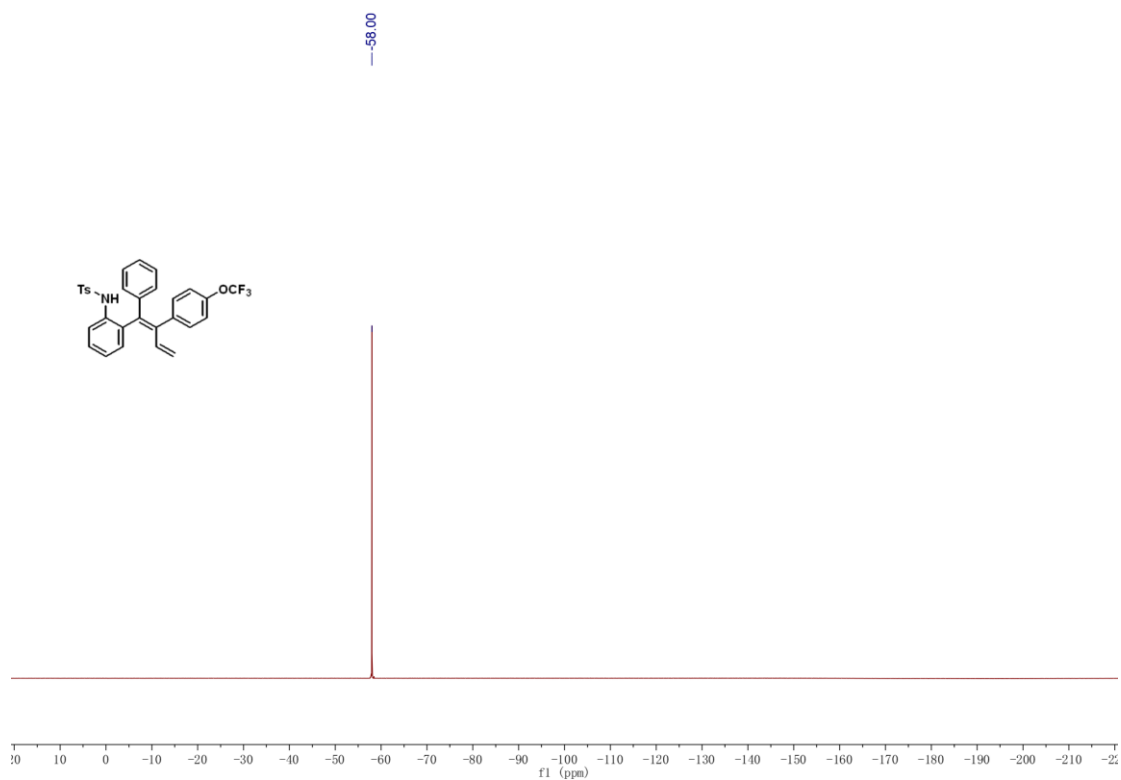


Figure S62. ¹⁹F NMR (377 MHz, CDCl₃) spectrum of 3av

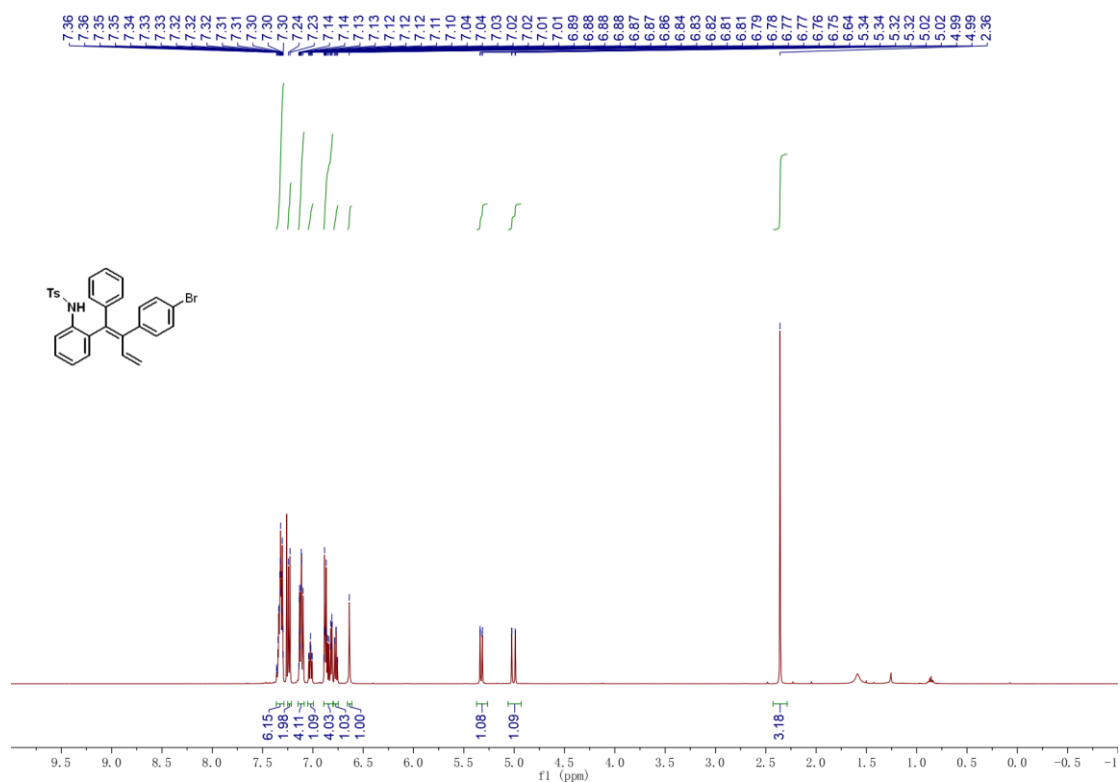


Figure S63. ¹H NMR (500 MHz, CDCl₃) spectrum of 3aw

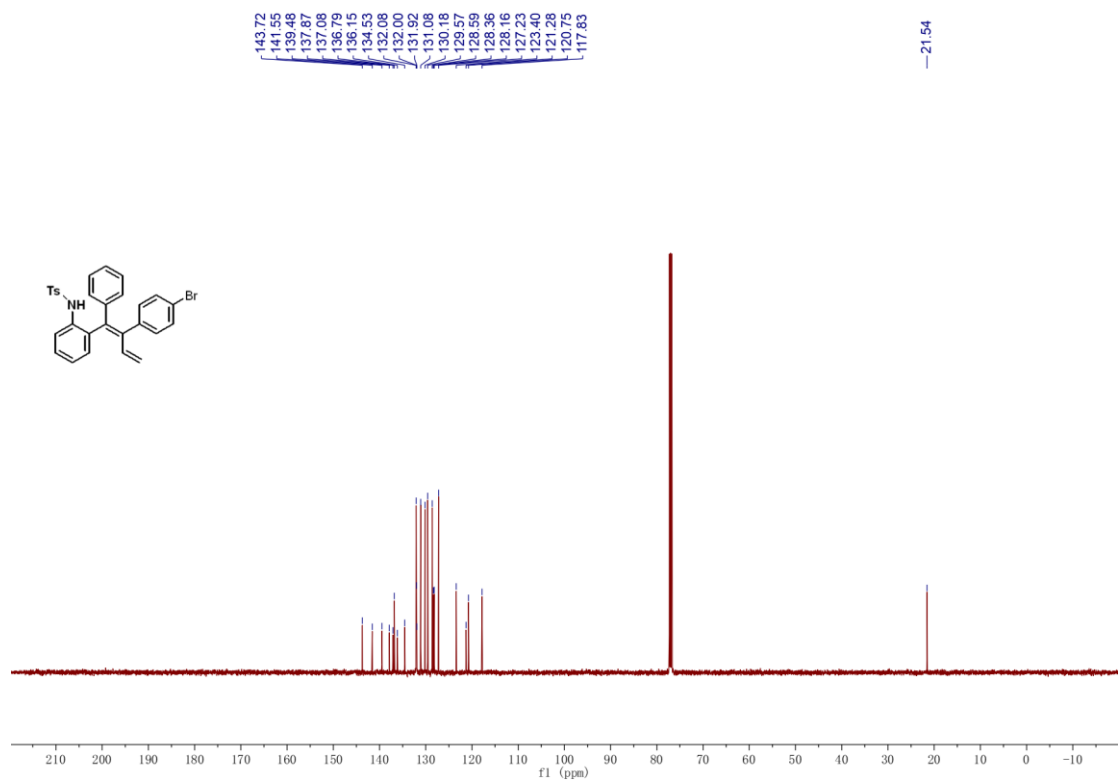


Figure S64. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3aw

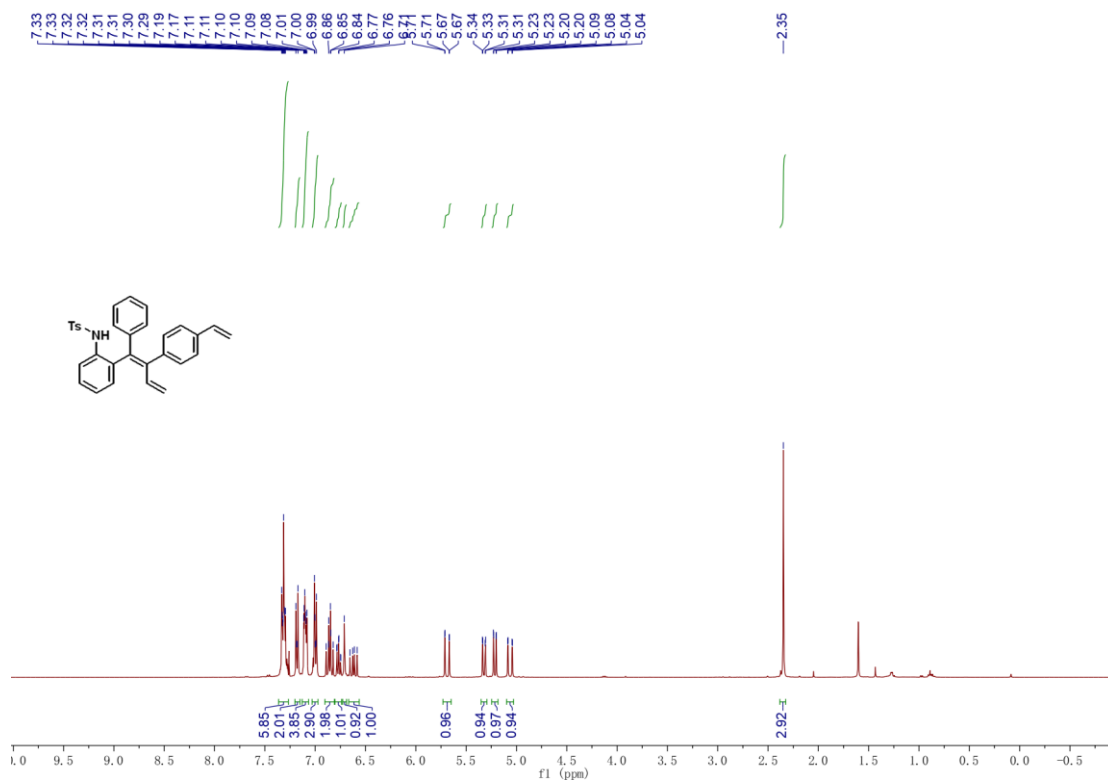


Figure S65. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ax

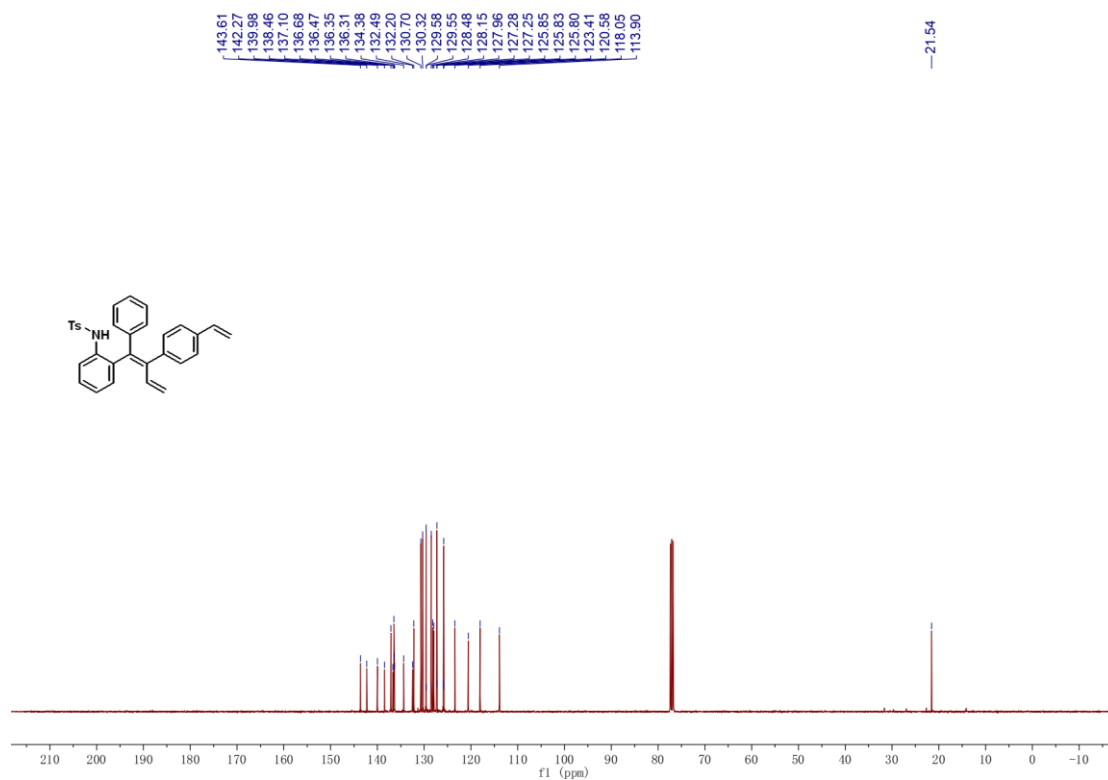


Figure S66. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ax

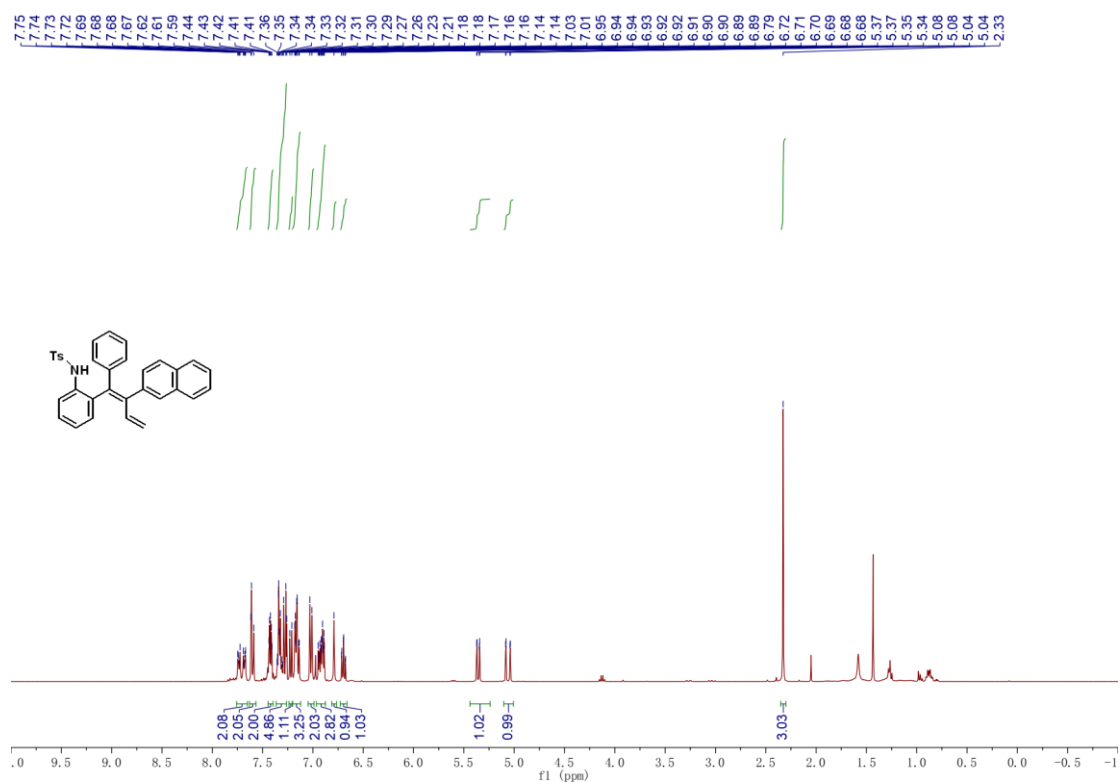


Figure S67. ¹H NMR (500 MHz, CDCl₃) spectrum of 3az

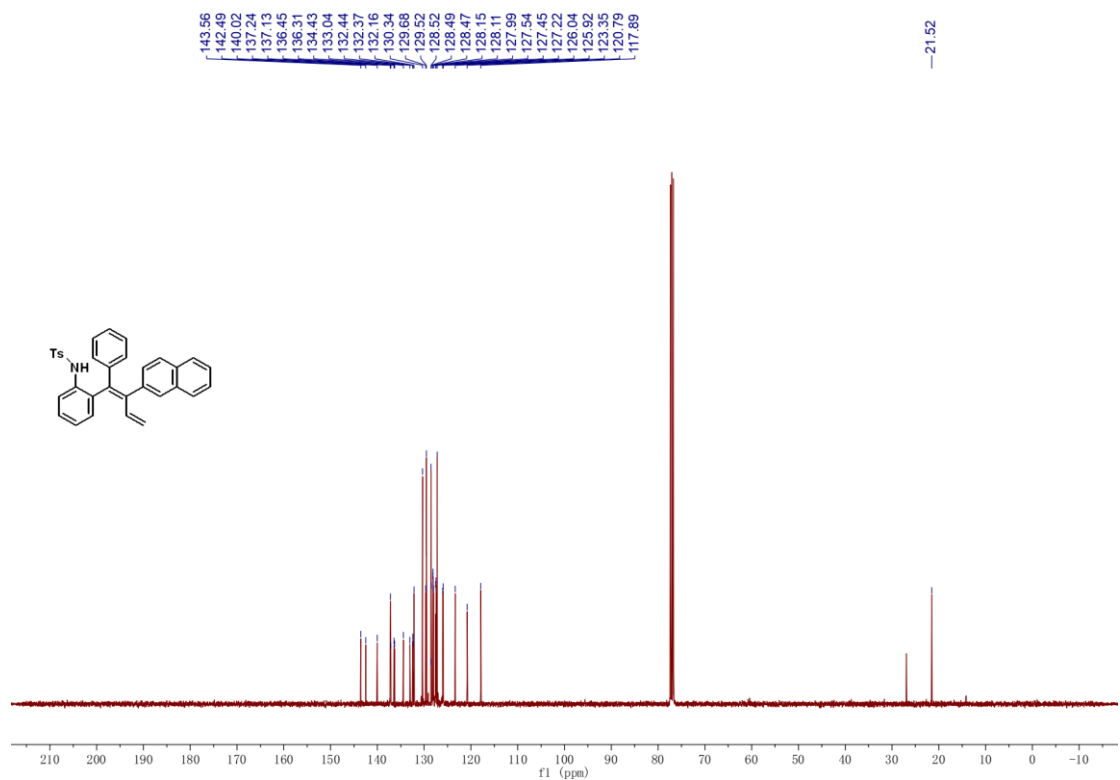


Figure S68. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3az

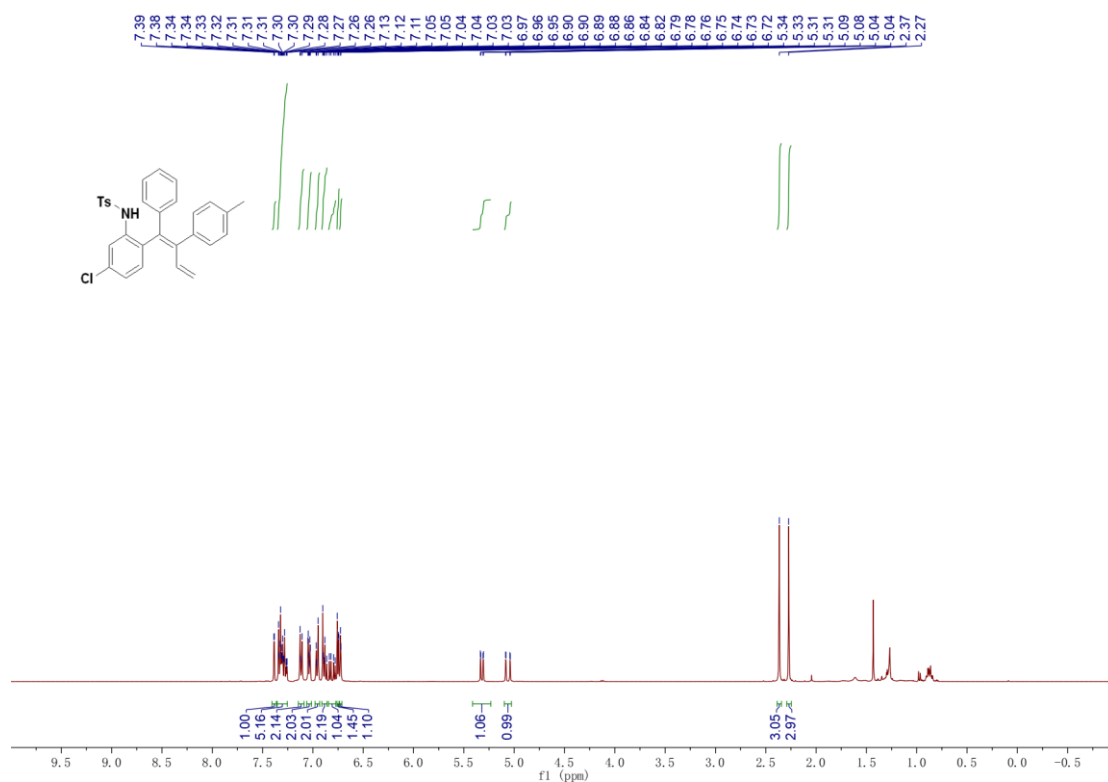


Figure S69. ¹H NMR (500 MHz, CDCl₃) spectrum of 3bb

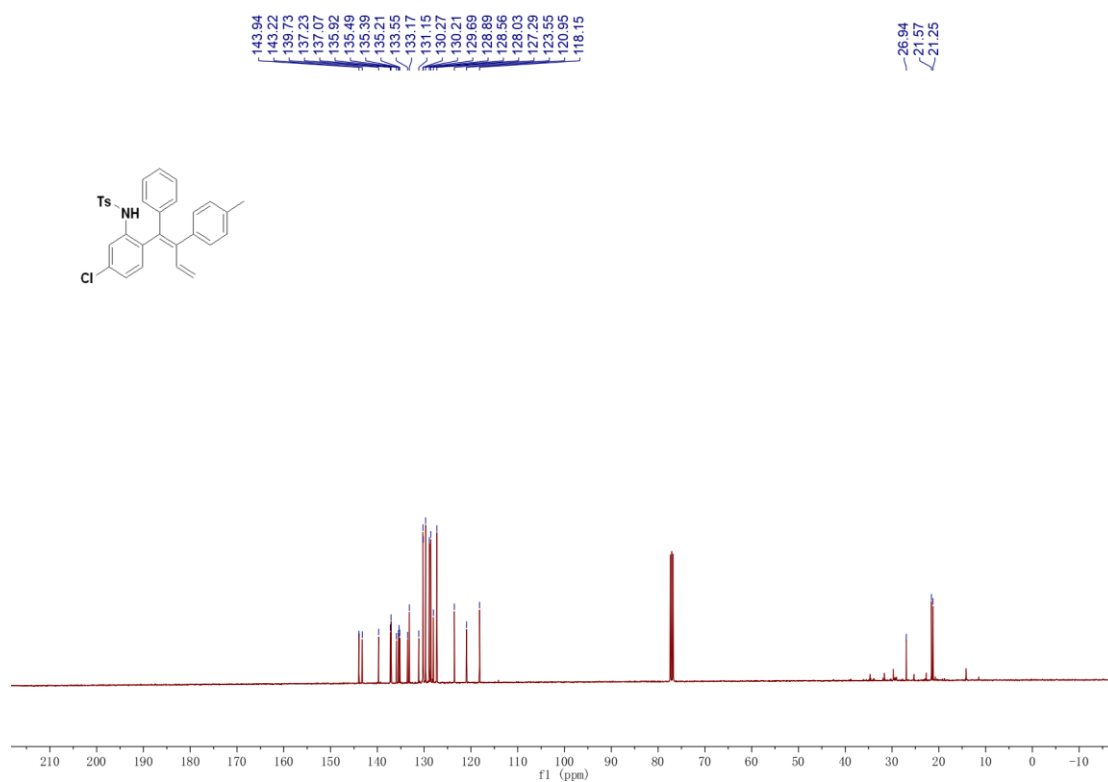


Figure S70. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3bb

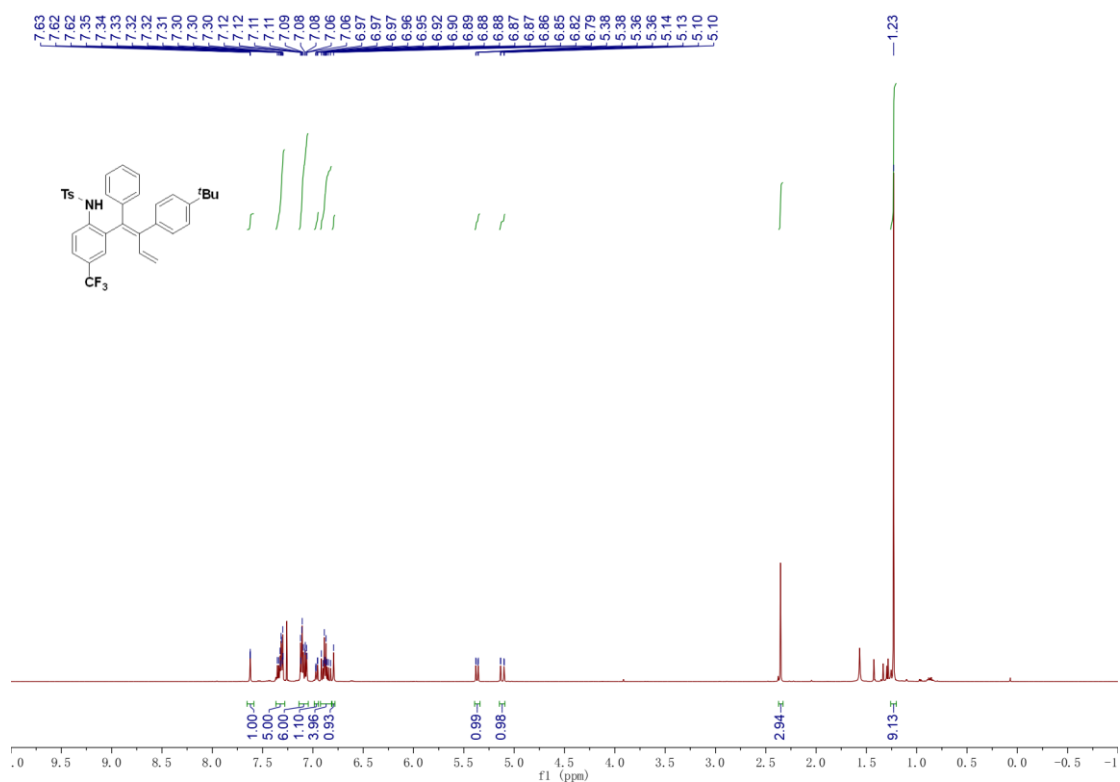


Figure S71. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ce

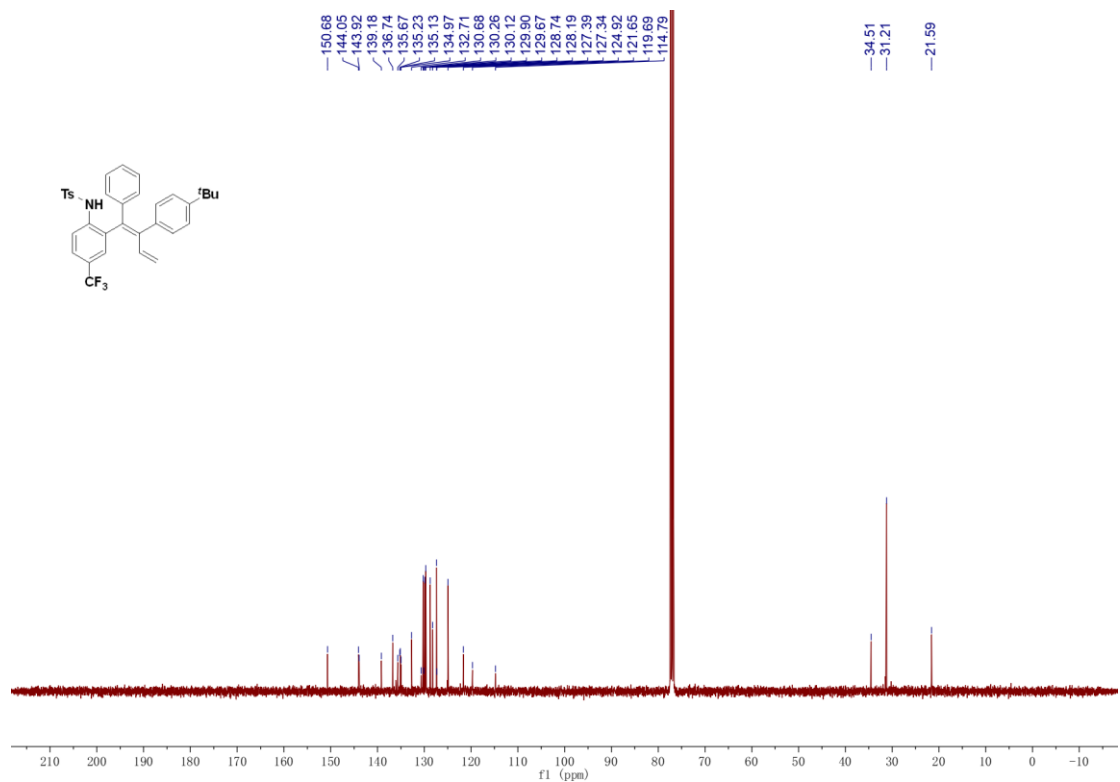


Figure S72. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ce

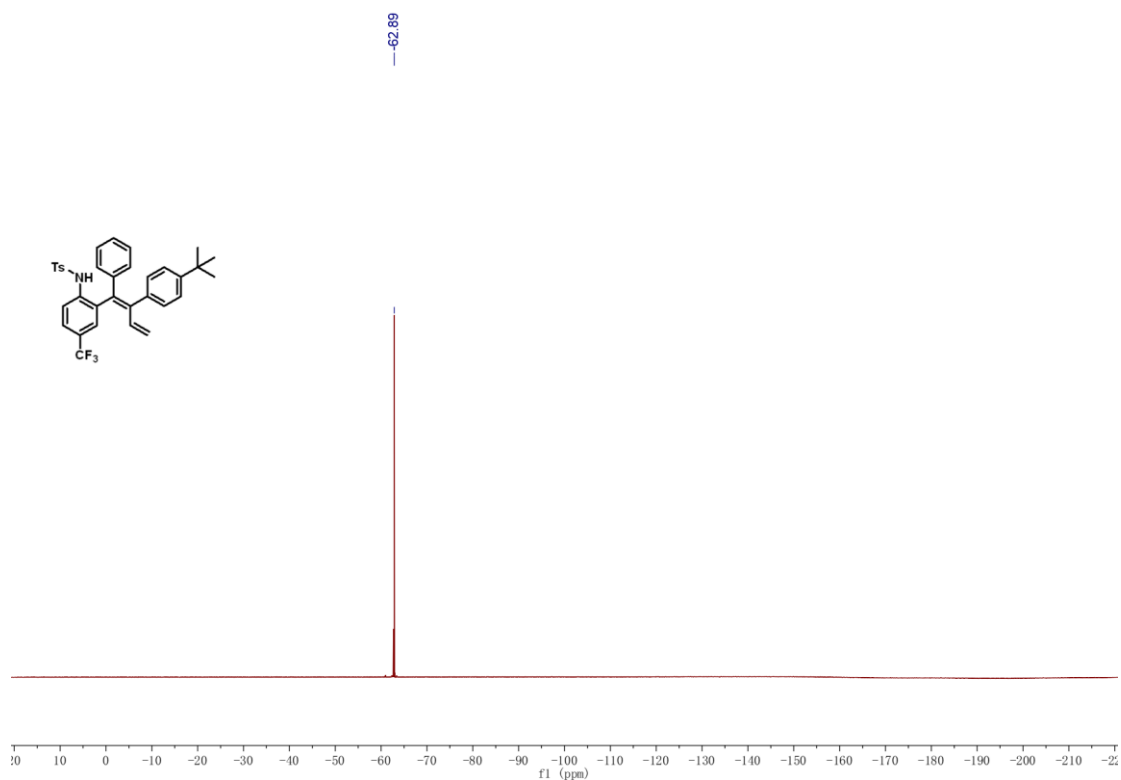


Figure S73. ¹⁹F NMR (377 MHz, CDCl₃) spectrum of 3ce

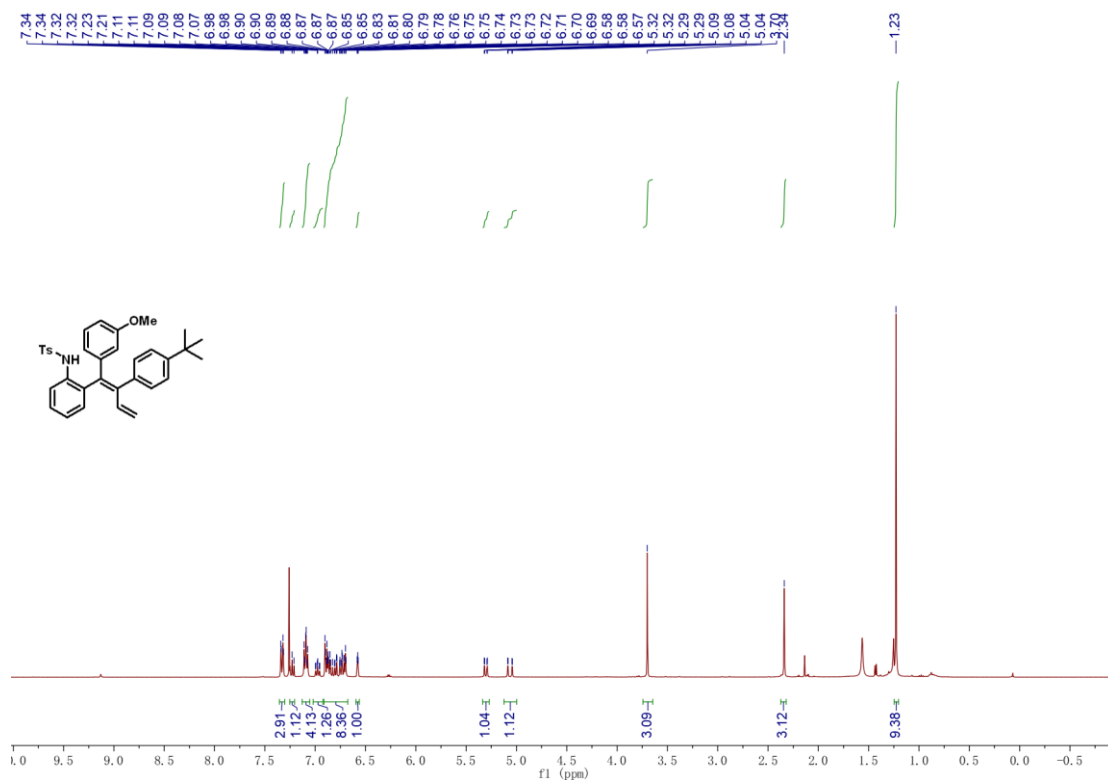


Figure S74. ¹H NMR (500 MHz, CDCl₃) spectrum of 3de

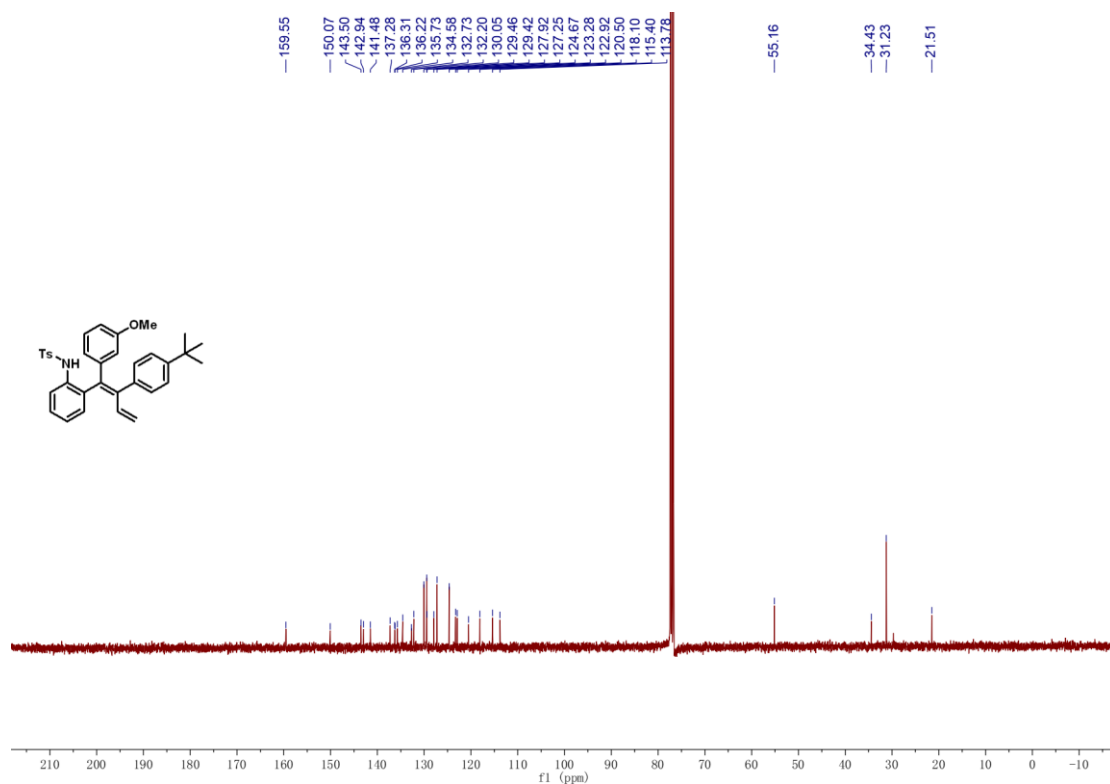


Figure S75. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3de

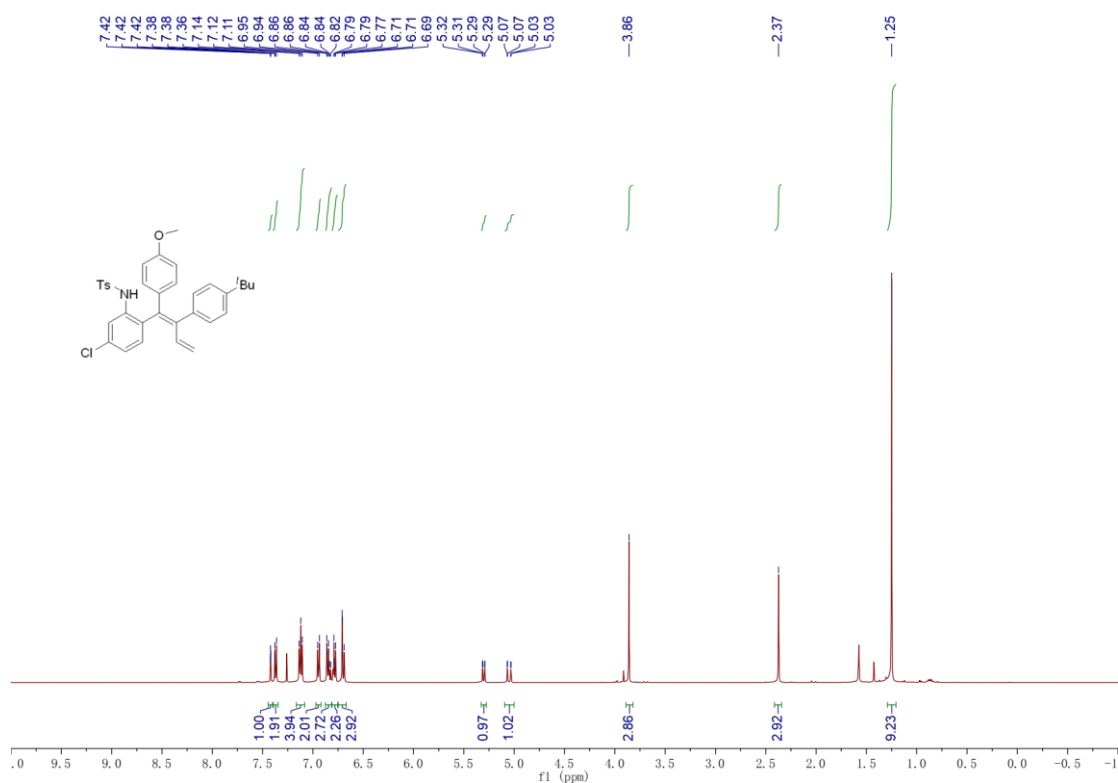


Figure S76. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ee

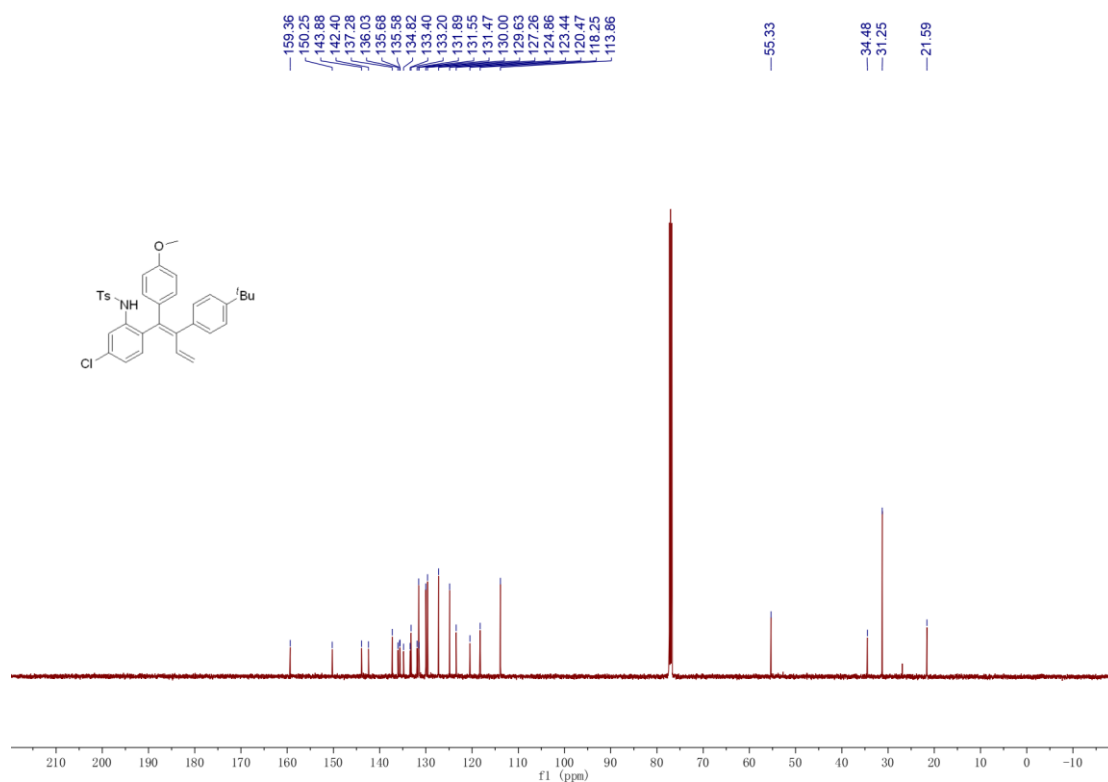


Figure S77. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ee

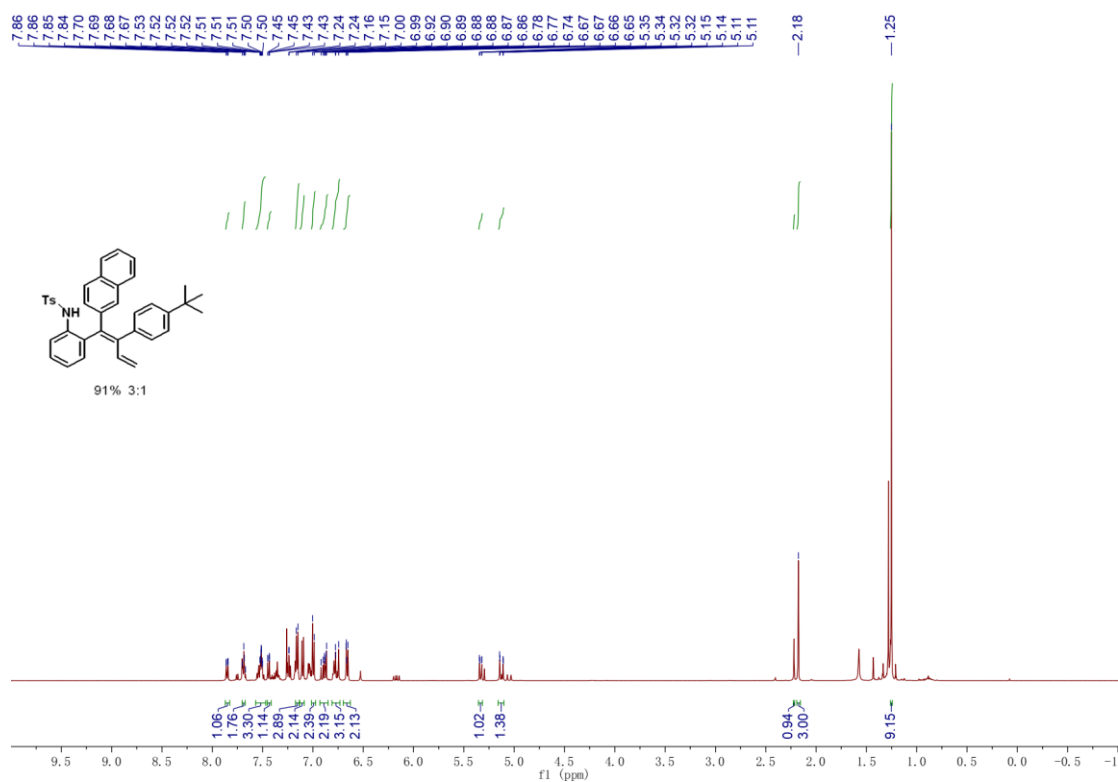


Figure S78. ¹H NMR (500 MHz, CDCl₃) spectrum of 3fe

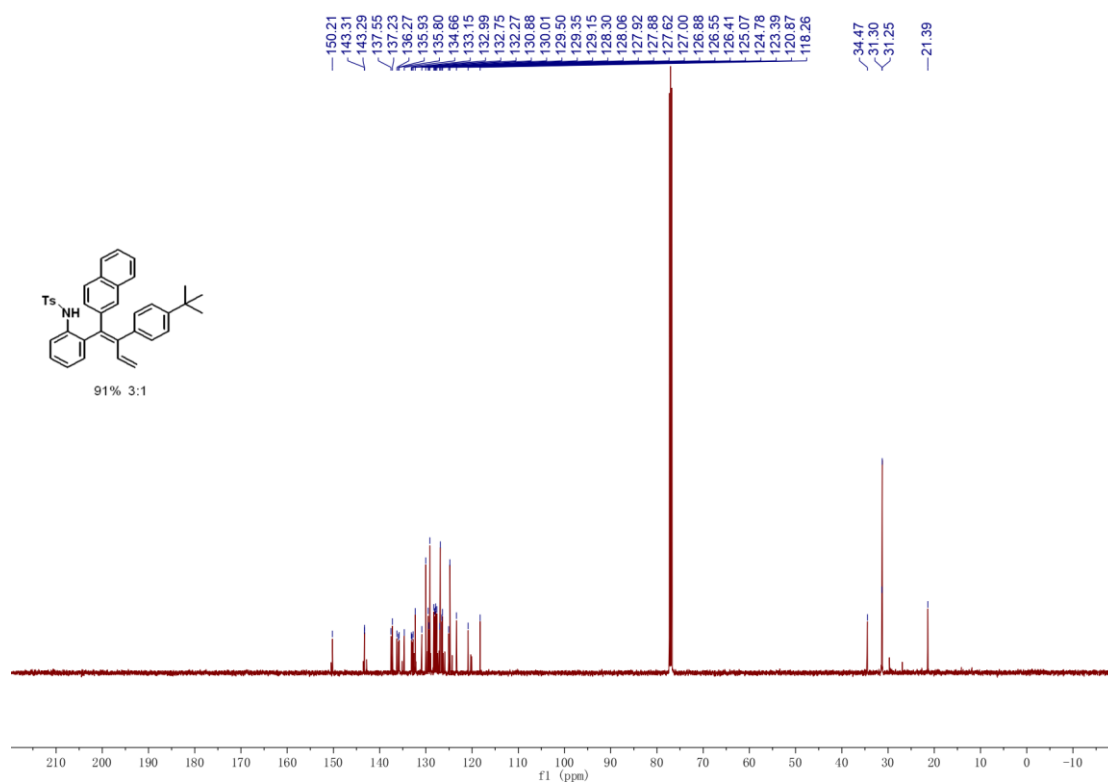


Figure S79. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3fe

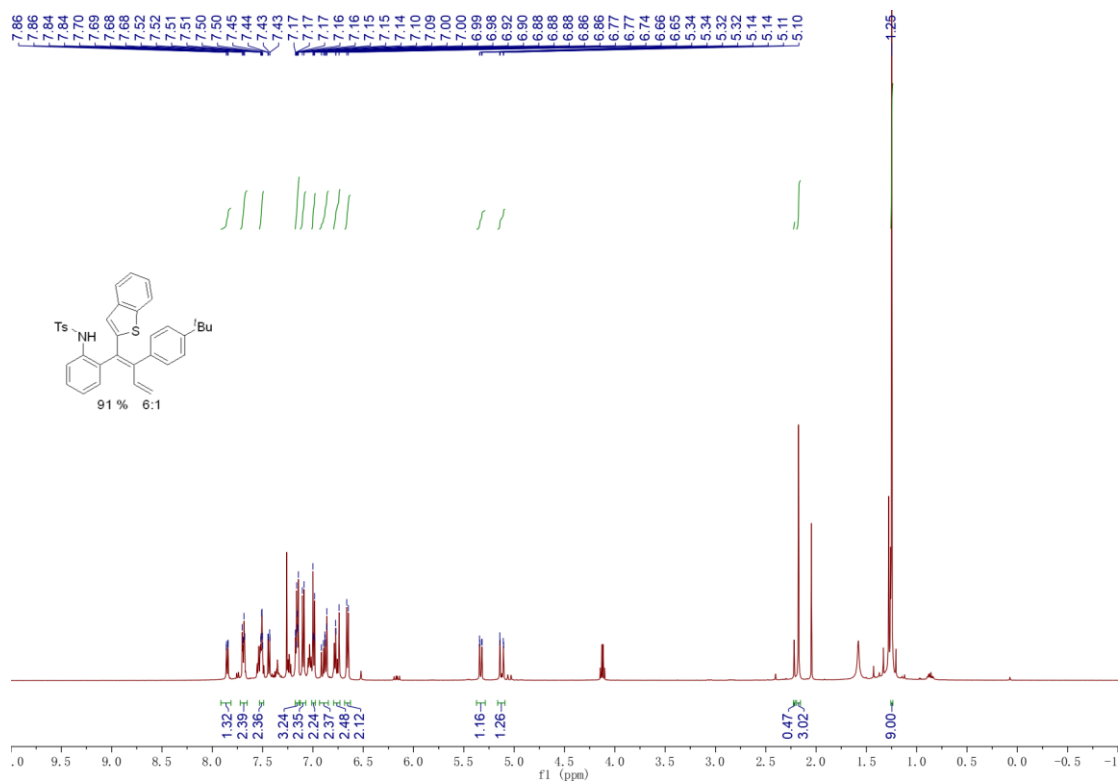


Figure S80. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ge

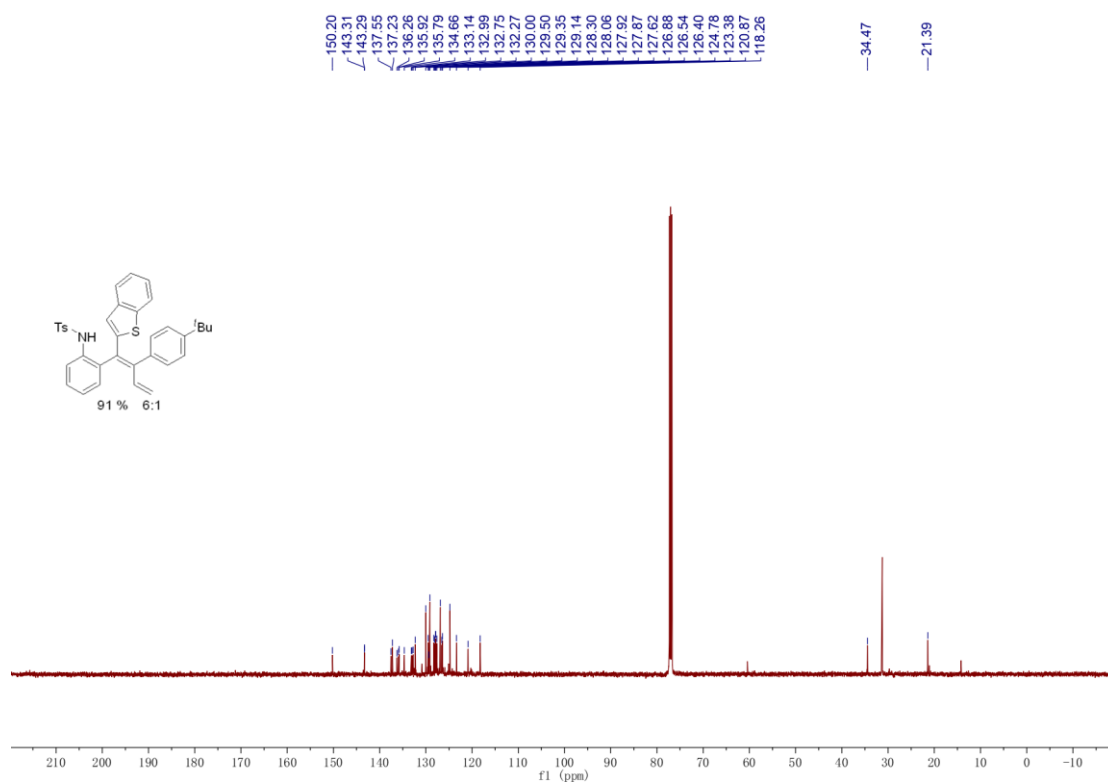


Figure S81. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ge

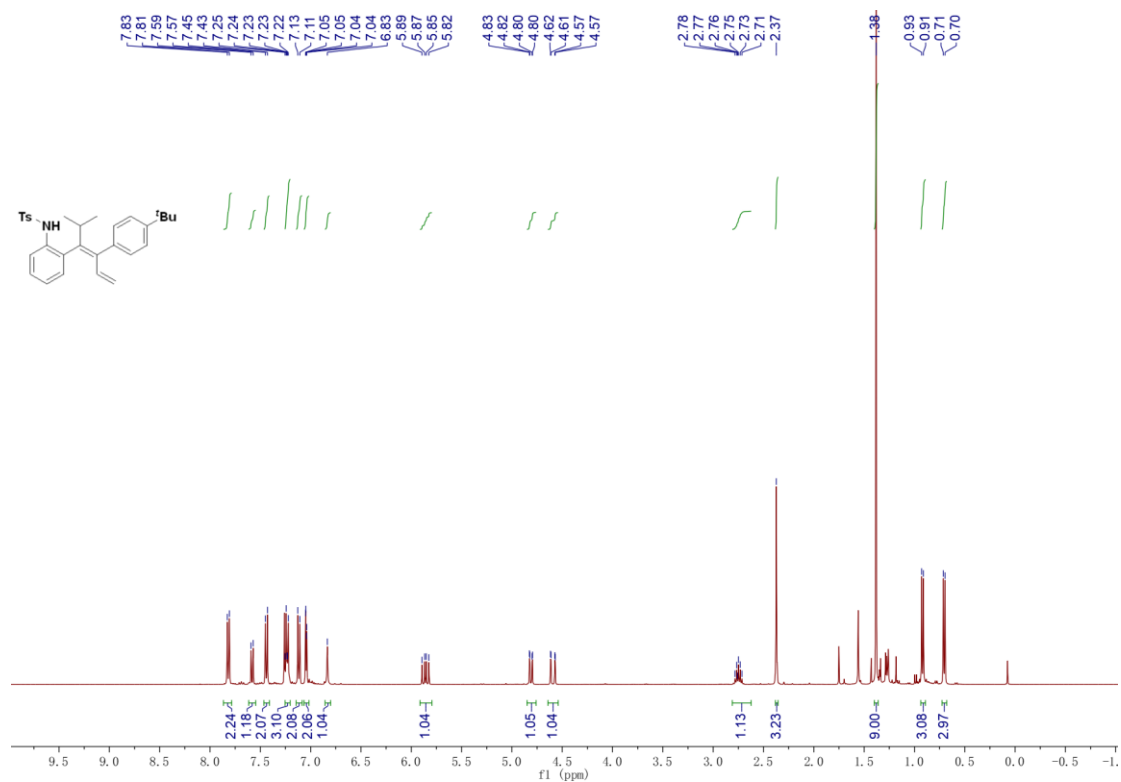


Figure S82. ¹H NMR (500 MHz, CDCl₃) spectrum of 3he

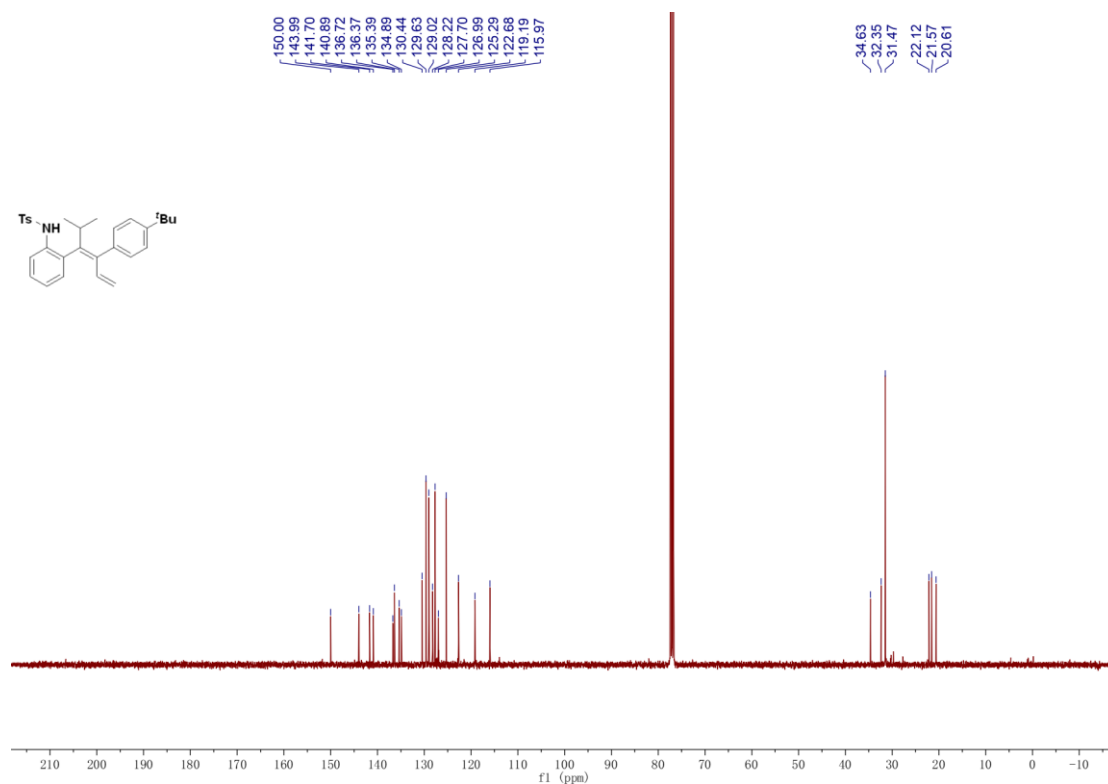


Figure S83. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3he

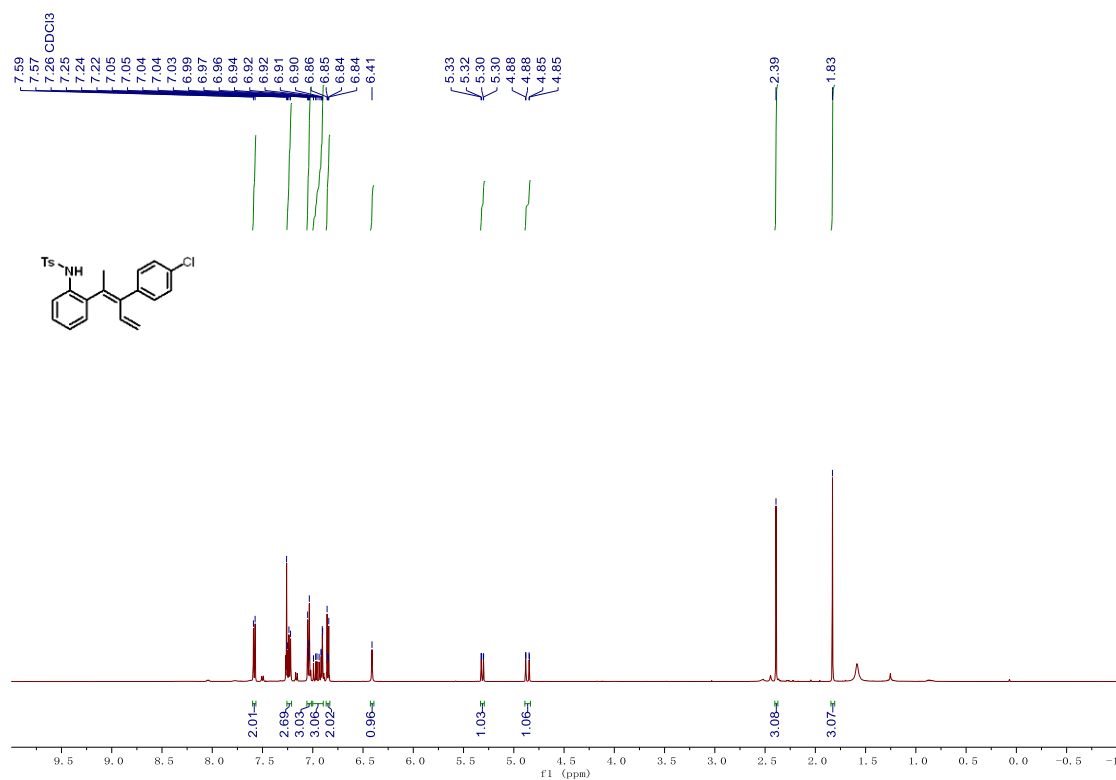


Figure S84. ¹H NMR (500 MHz, CDCl₃) spectrum of 3im

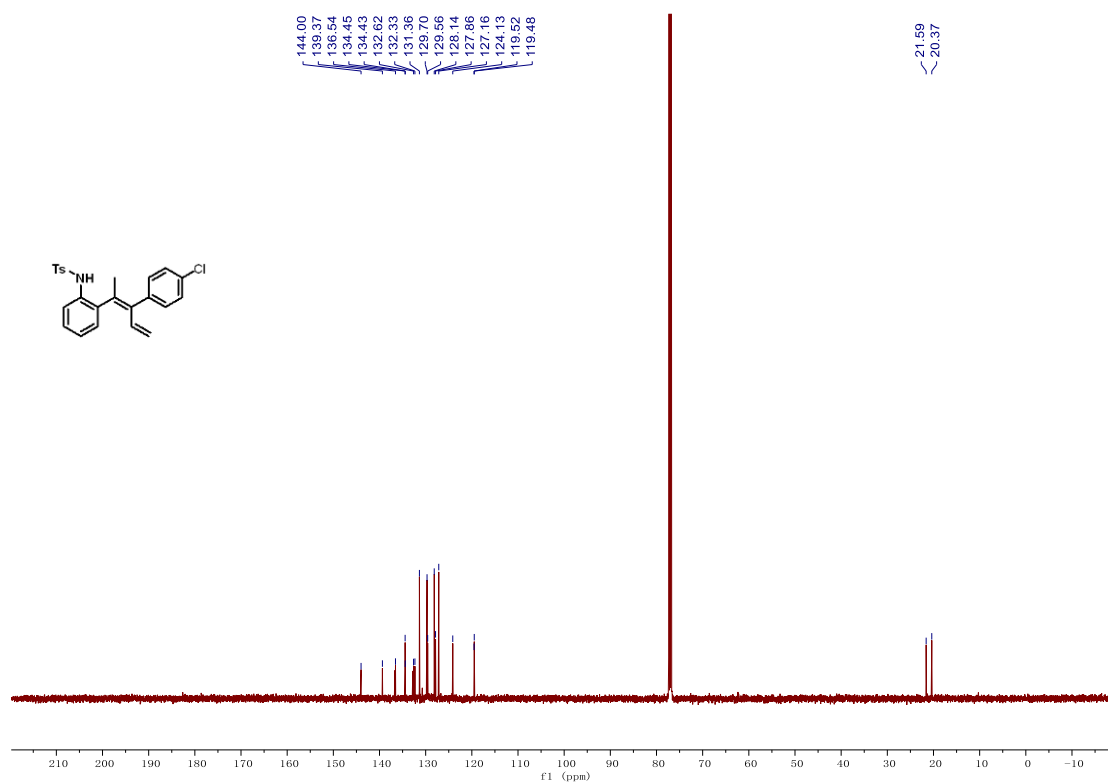


Figure S85. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3im

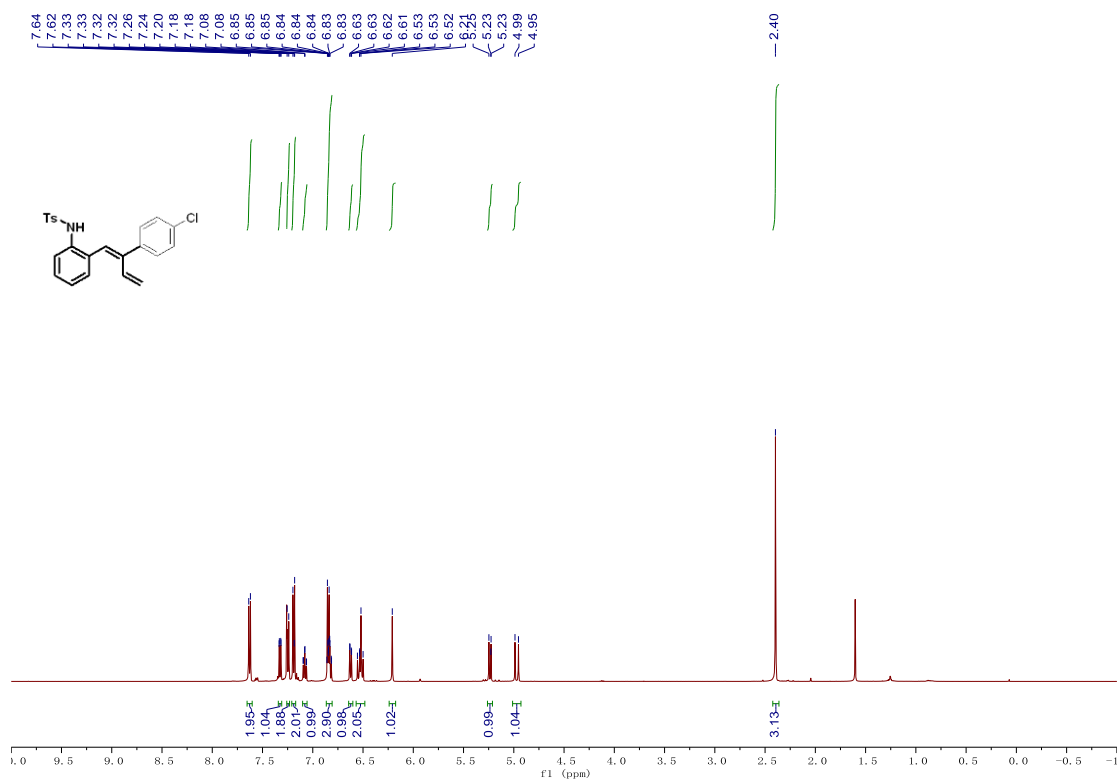


Figure S86. ¹H NMR (500 MHz, CDCl₃) spectrum of 3jm

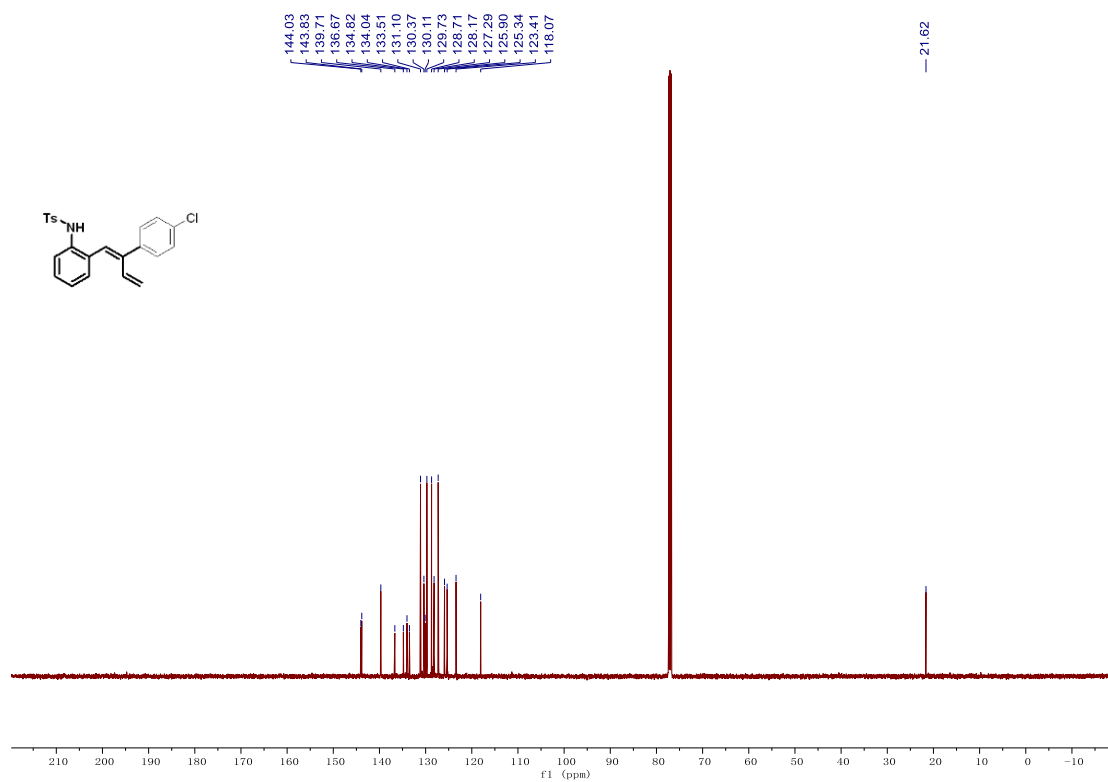


Figure S87. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3jm

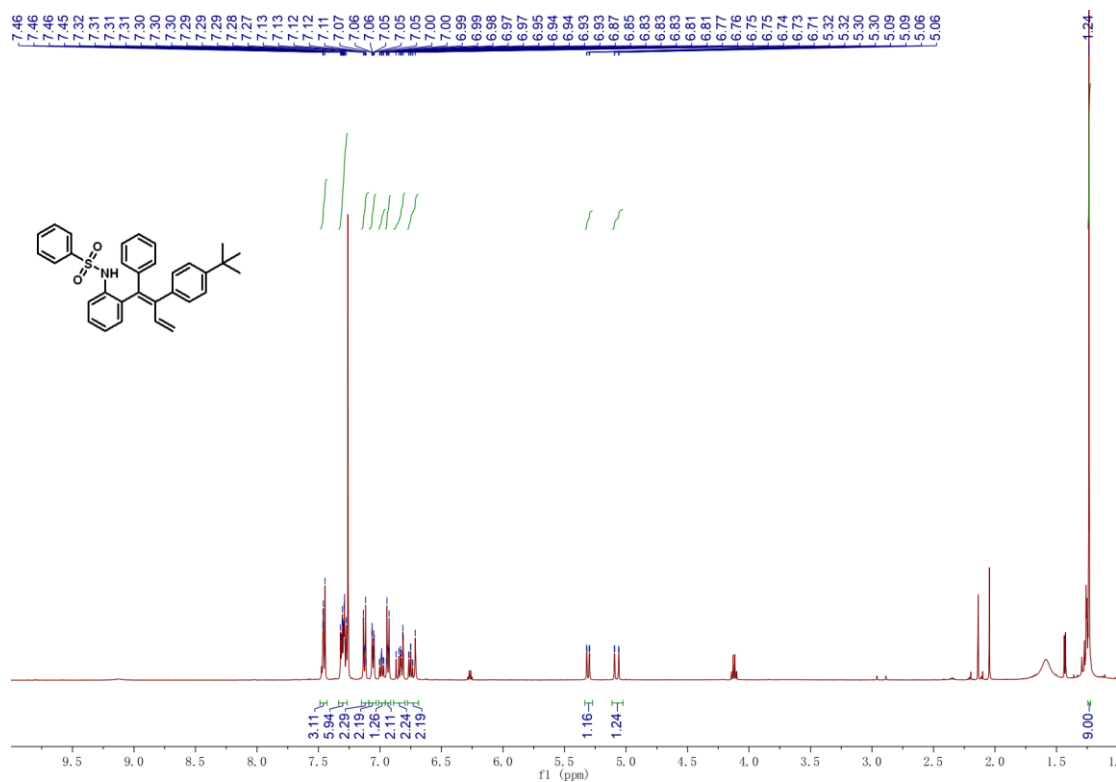


Figure S88. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ke

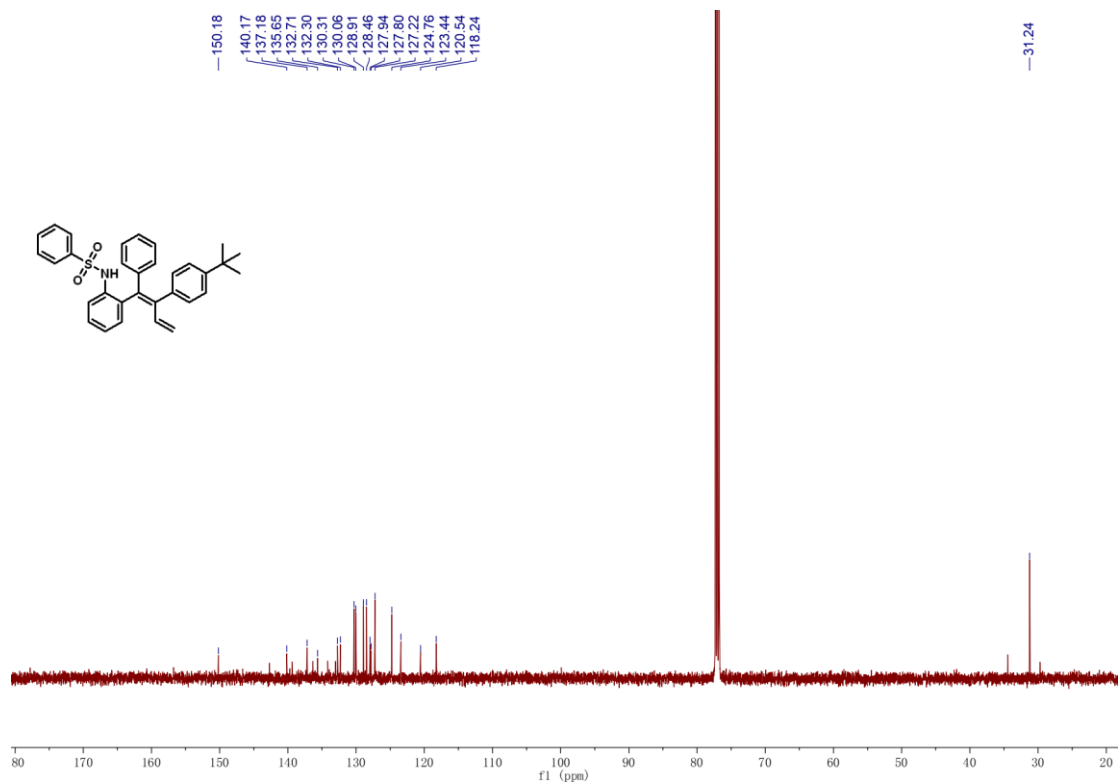


Figure S89. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ke

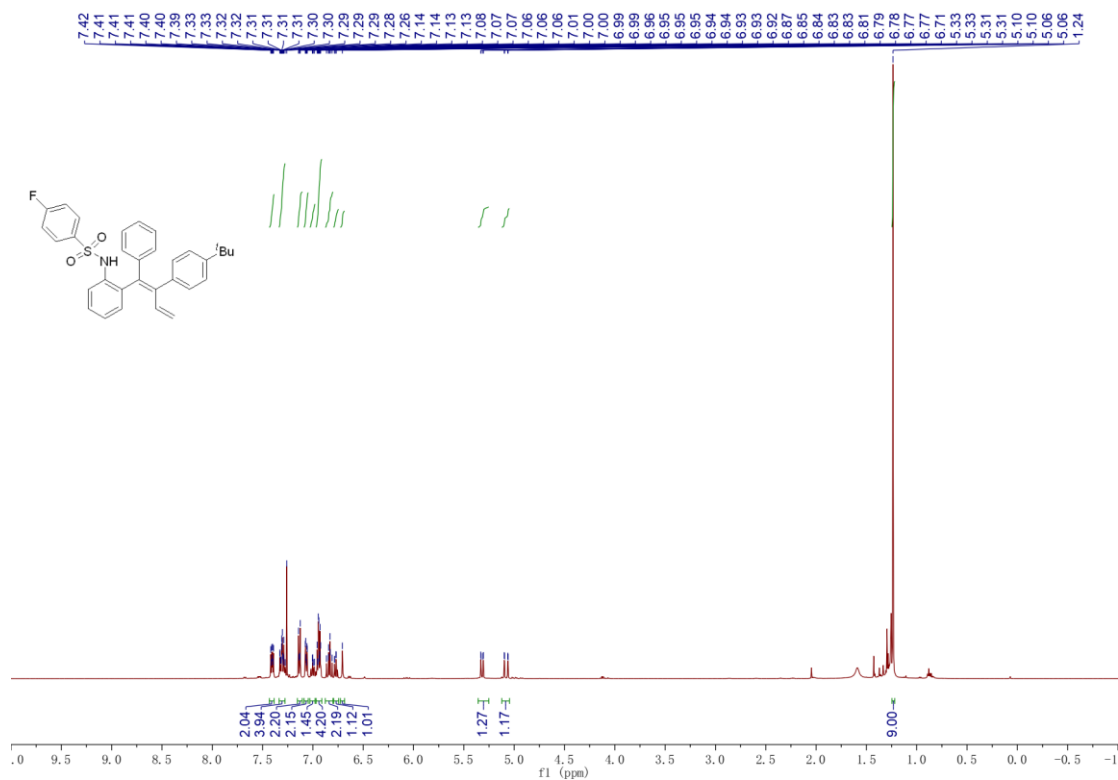


Figure S90. ¹H NMR (500 MHz, CDCl₃) spectrum of 3le

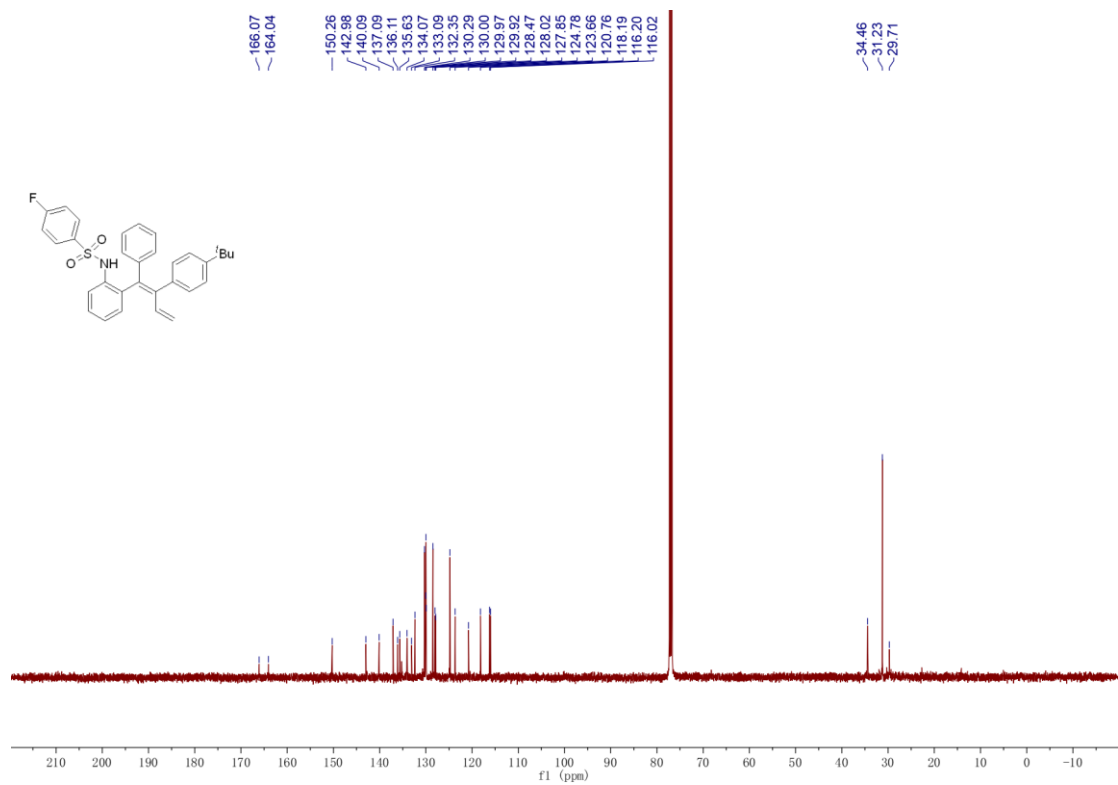


Figure S91. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3le

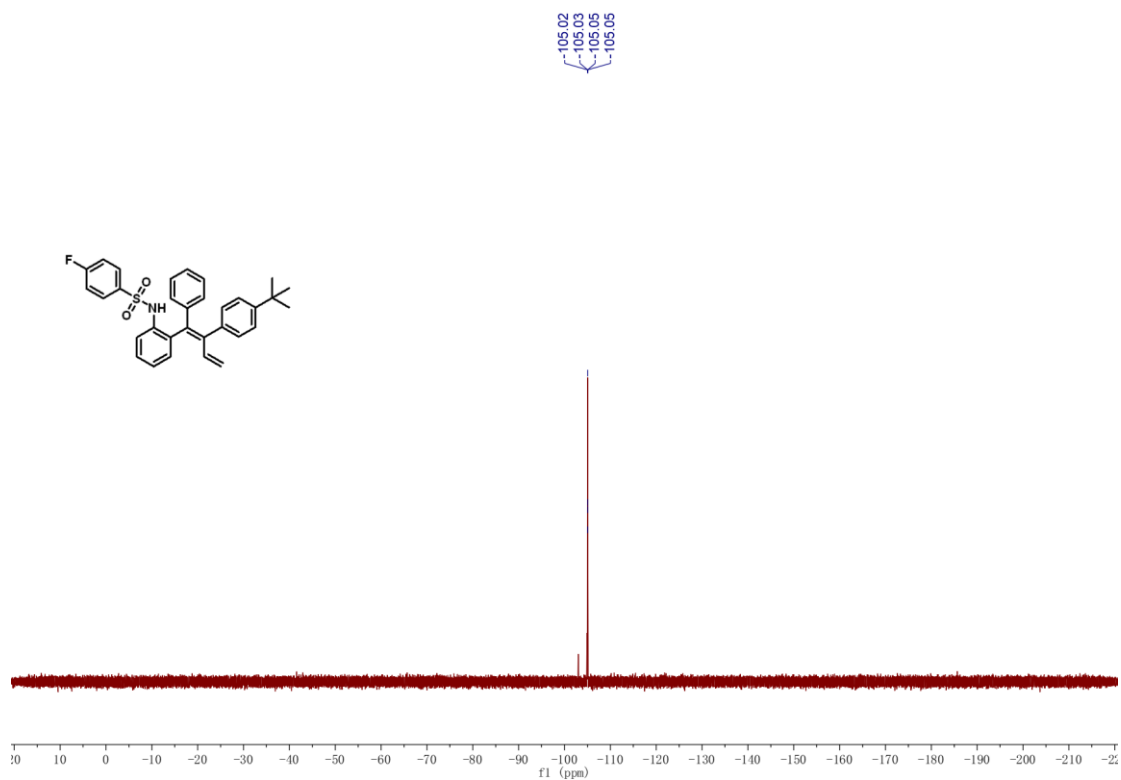


Figure S92. ¹⁹F NMR (377 MHz, CDCl₃) spectrum of 3le

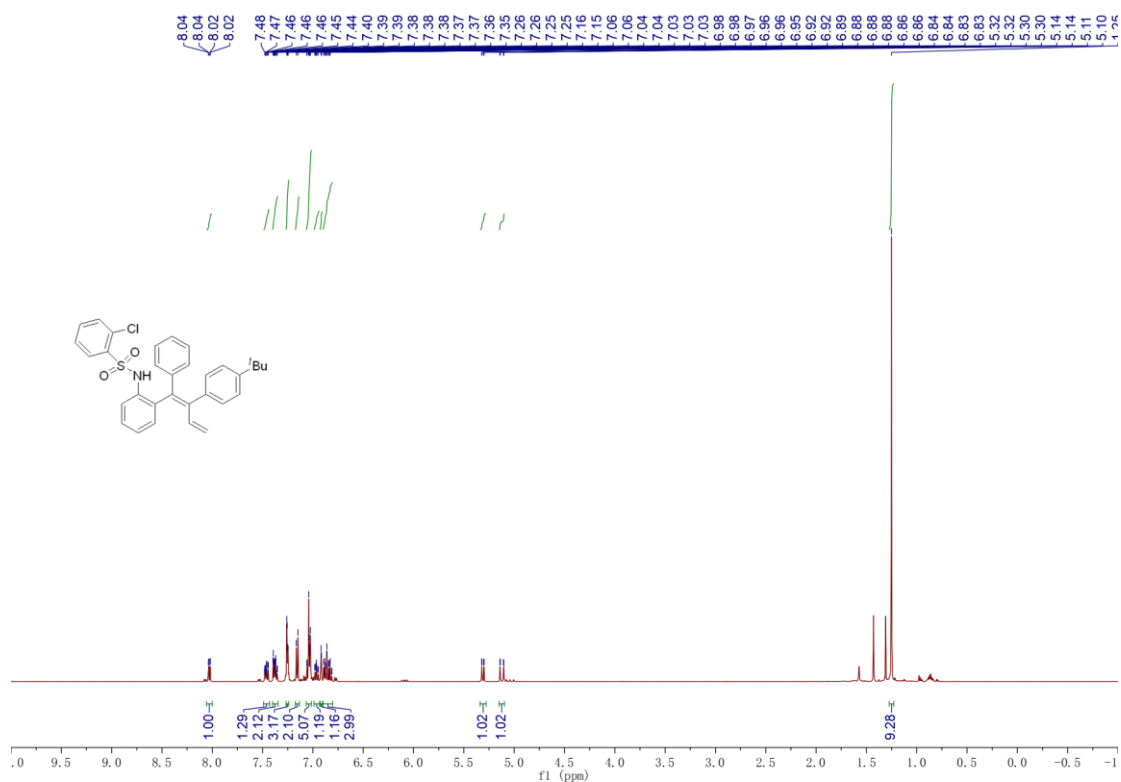


Figure S93. ¹H NMR (500 MHz, CDCl₃) spectrum of 3me

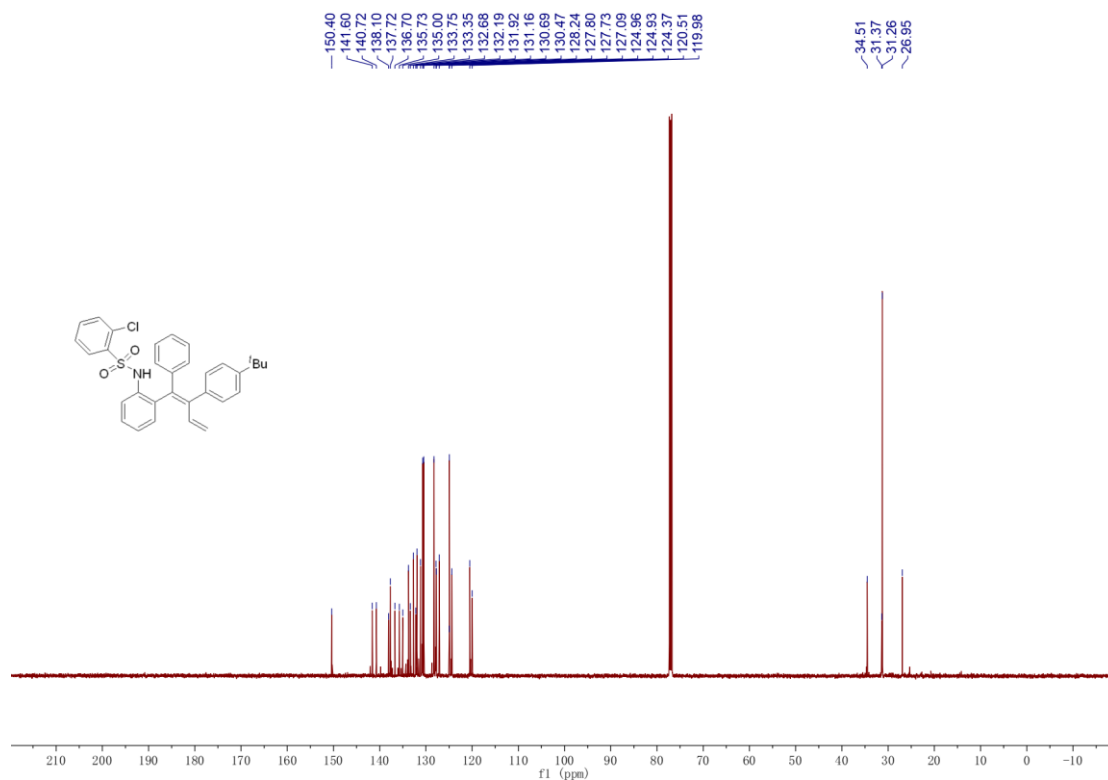


Figure S94. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3me

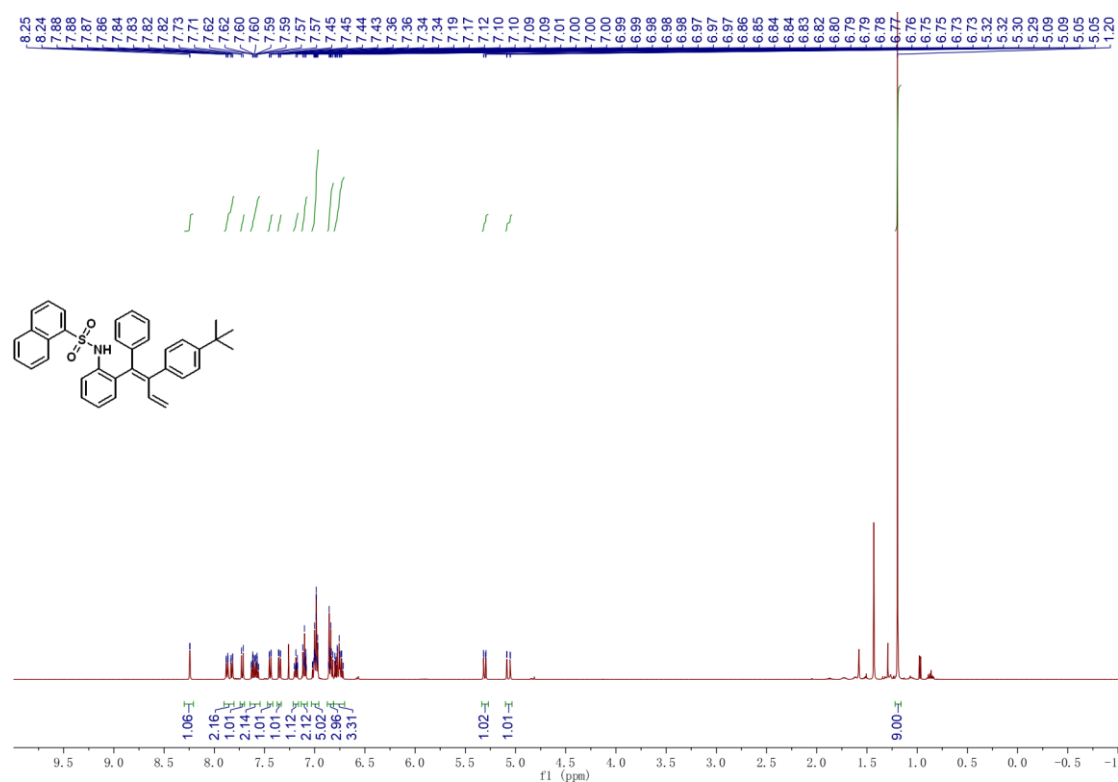


Figure S95. ¹H NMR (500 MHz, CDCl₃) spectrum of 3ne

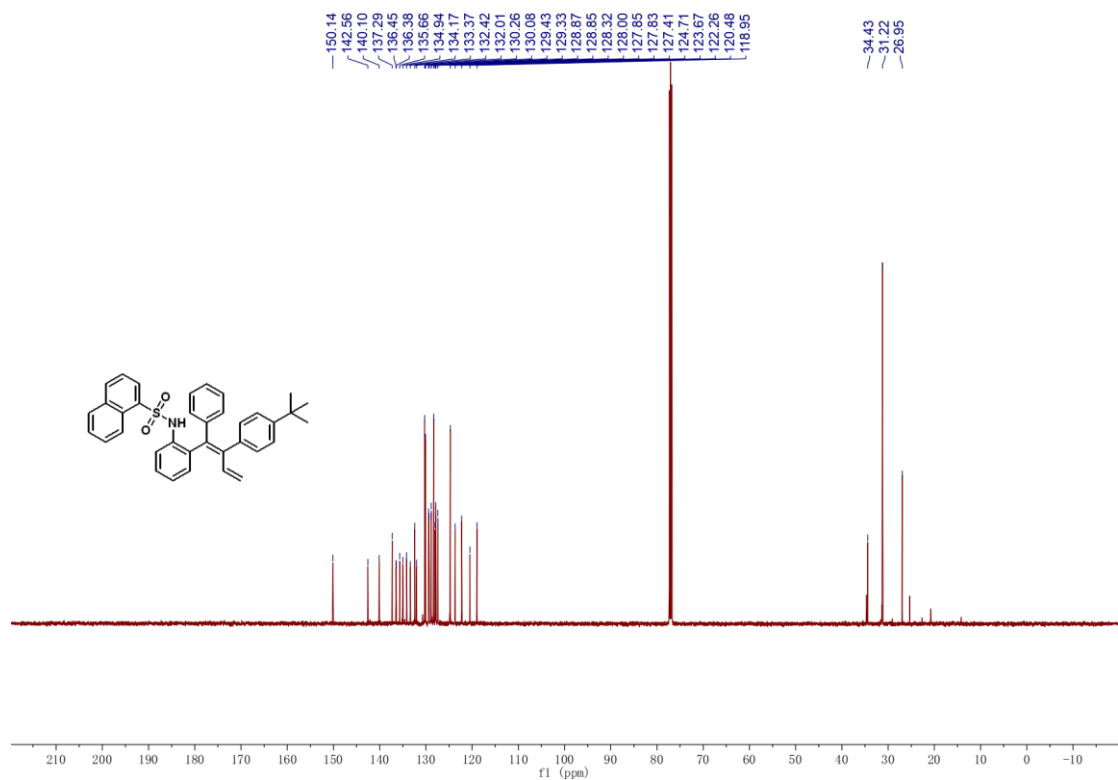


Figure S96. ¹³C NMR (126 MHz, CDCl₃) spectrum of 3ne

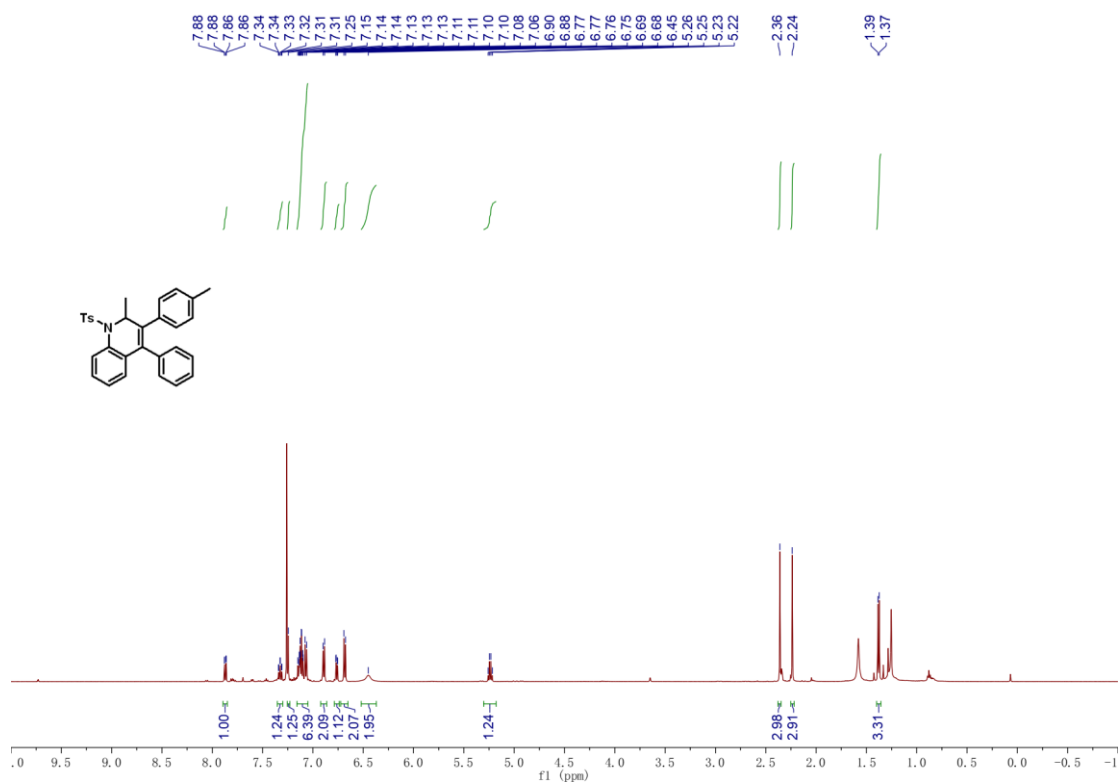


Figure S97. ¹H NMR (500 MHz, CDCl₃) spectrum of 4ab

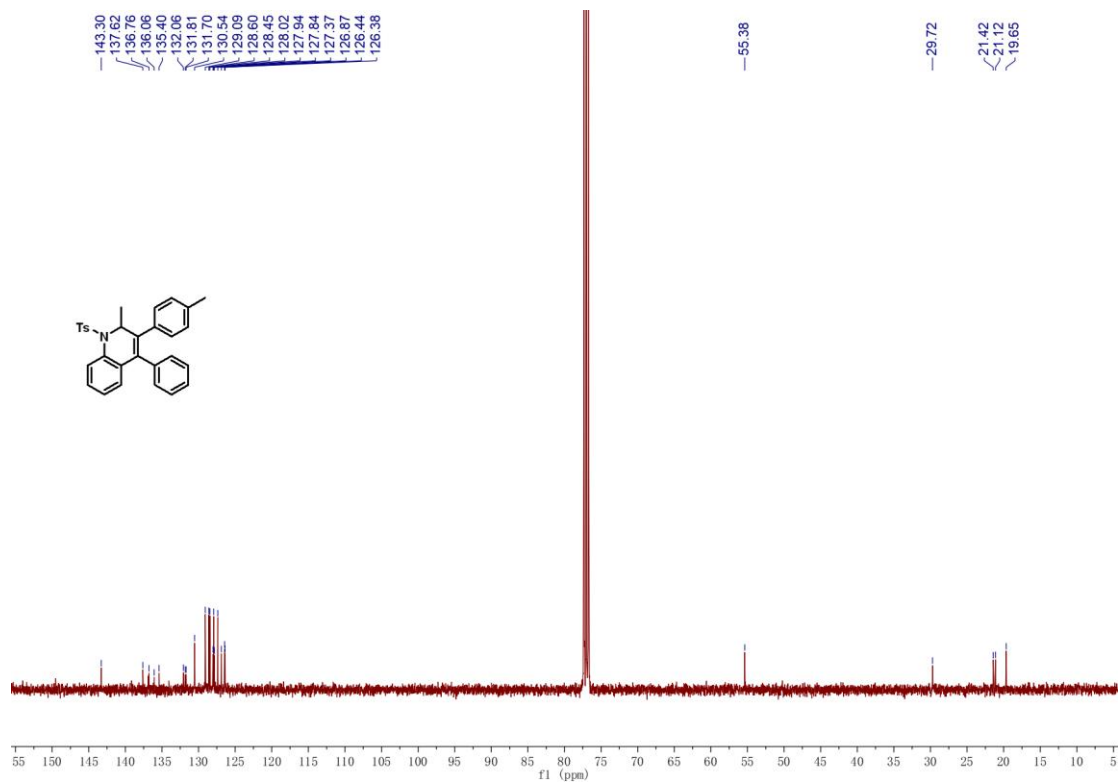


Figure S98. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4ab

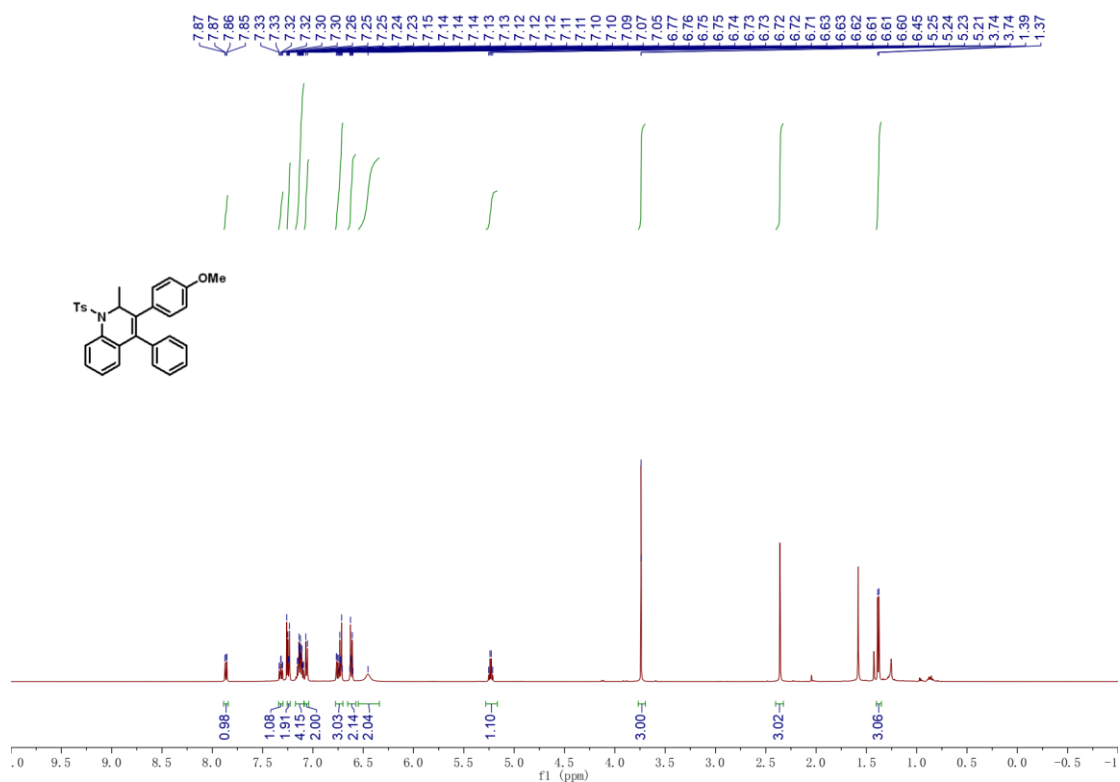


Figure S99. ¹H NMR (500 MHz, CDCl₃) spectrum of 4af

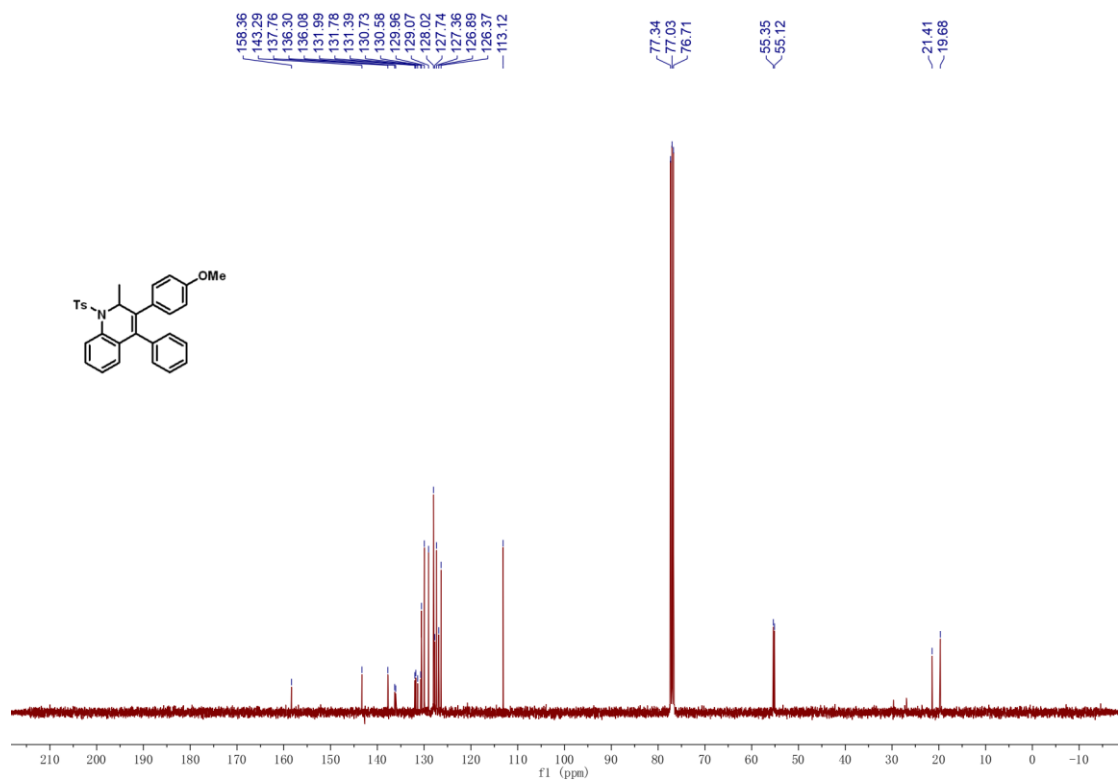


Figure S100. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4af

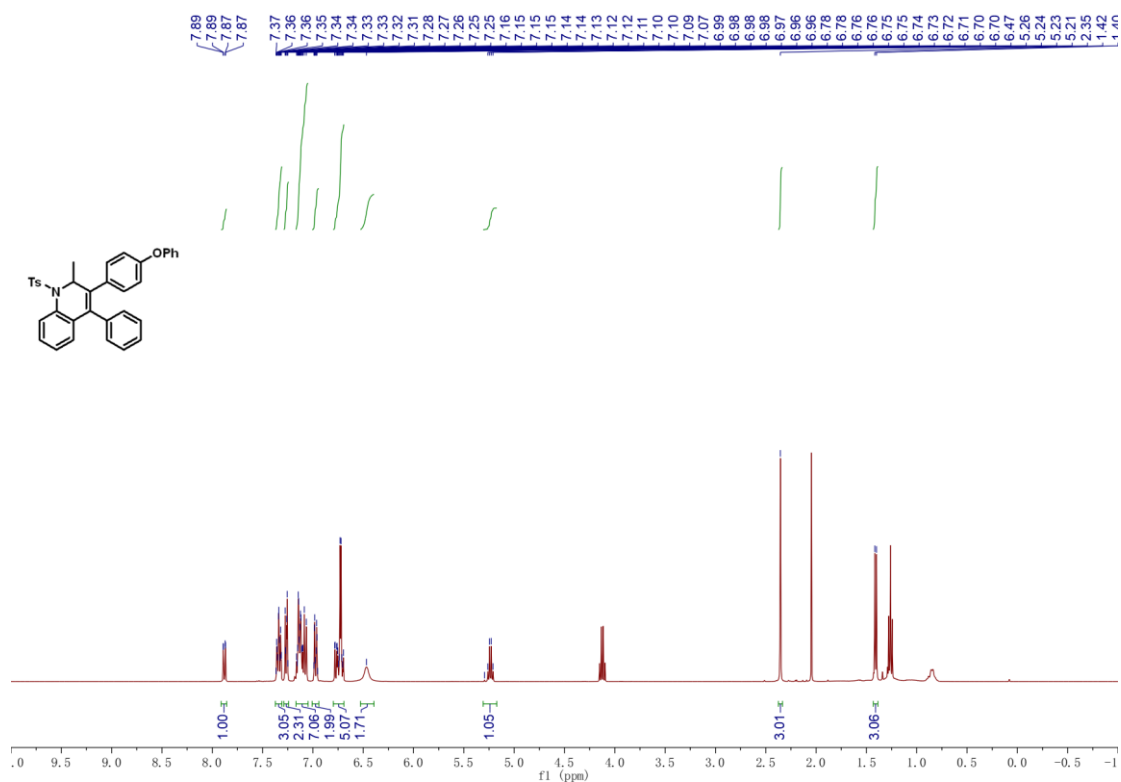


Figure S101. ¹H NMR (500 MHz, CDCl₃) spectrum of 4a

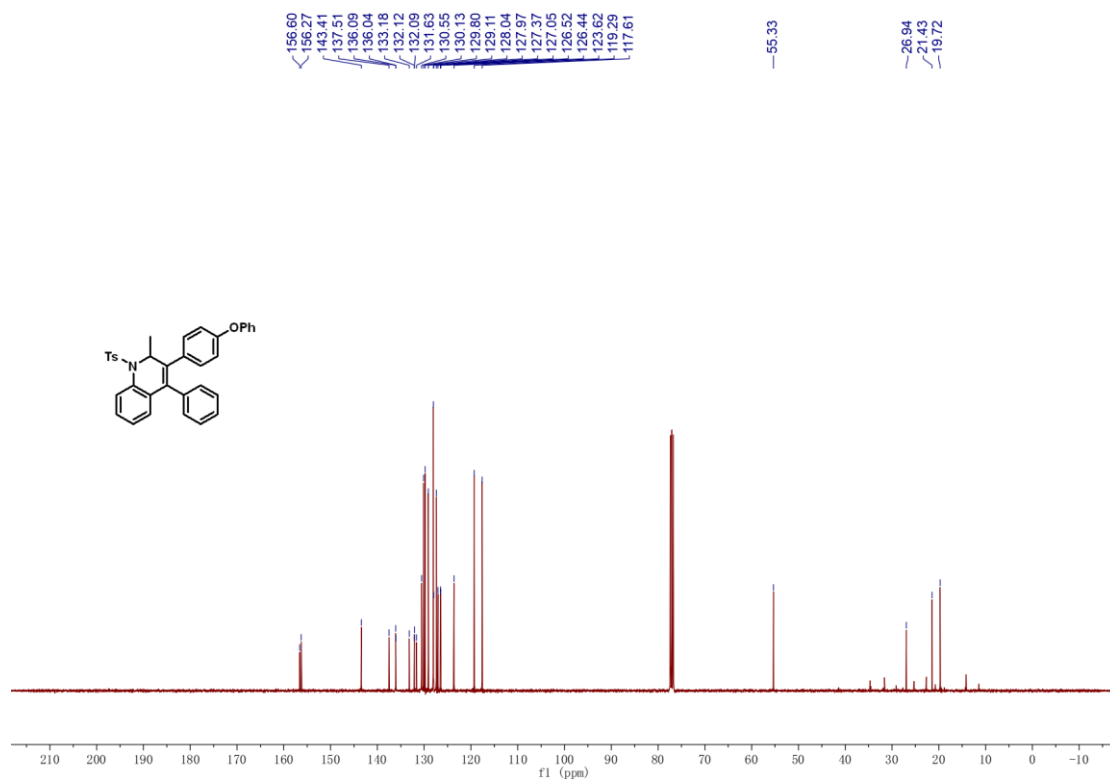


Figure S102. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4a

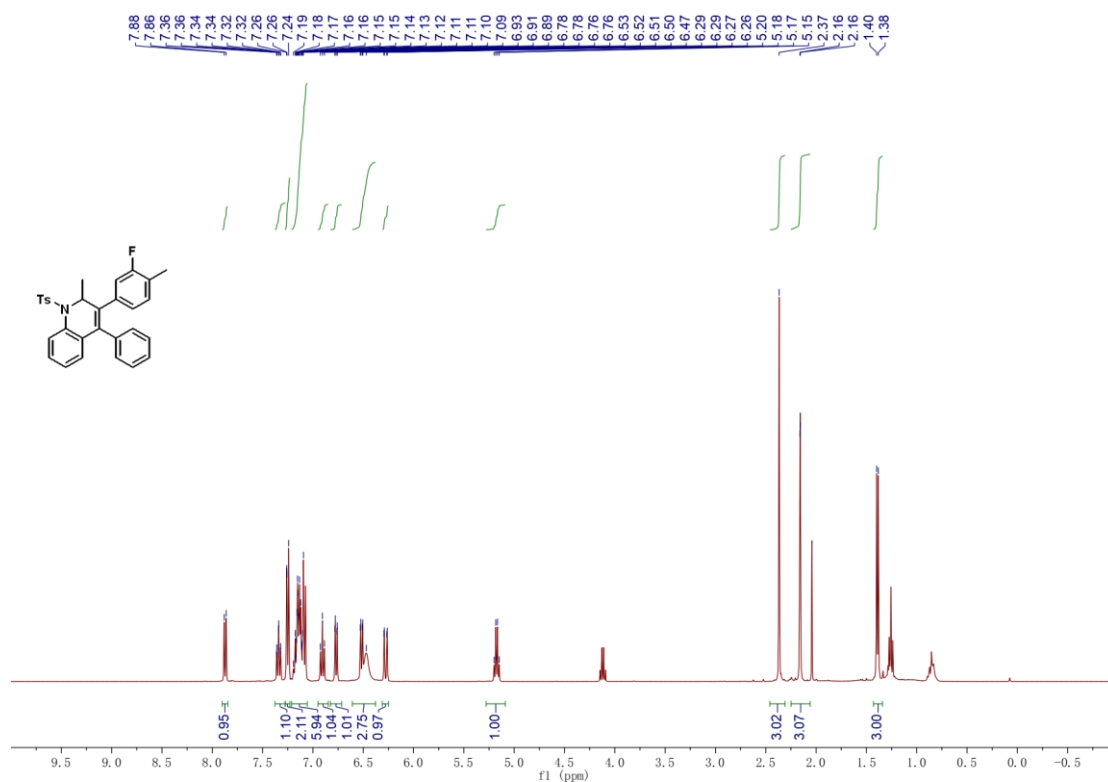


Figure S103. ¹H NMR (500 MHz, CDCl₃) spectrum of 4ak

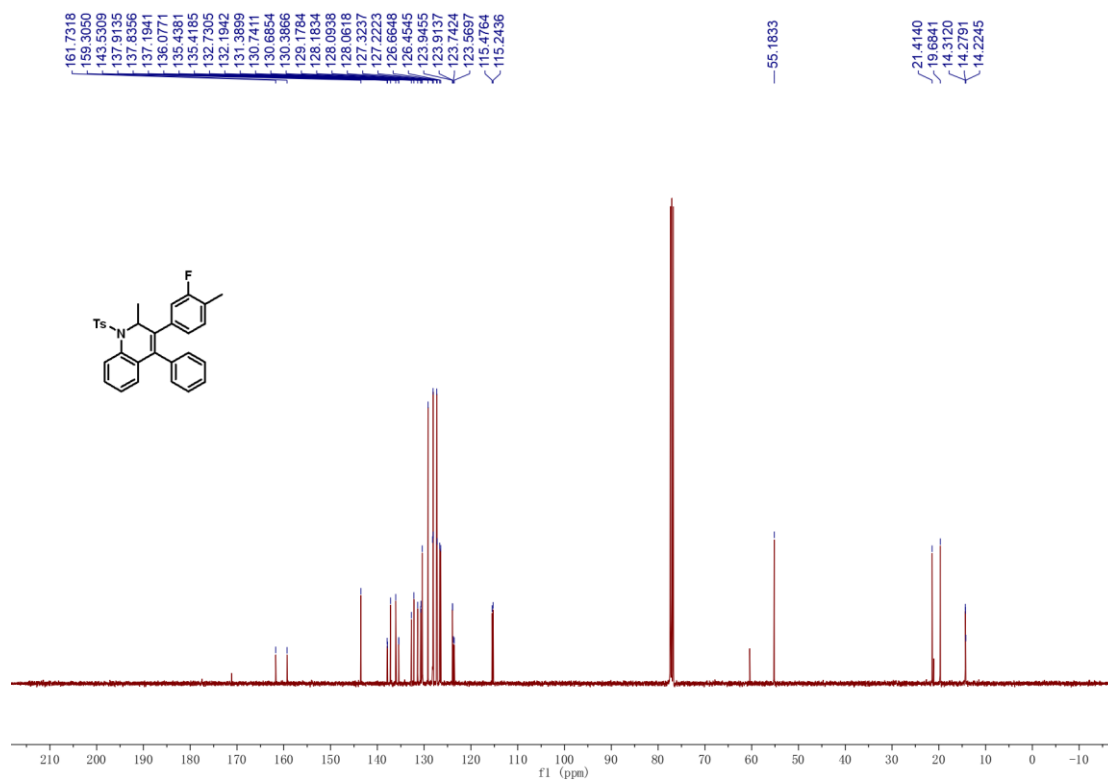


Figure S104. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4ak

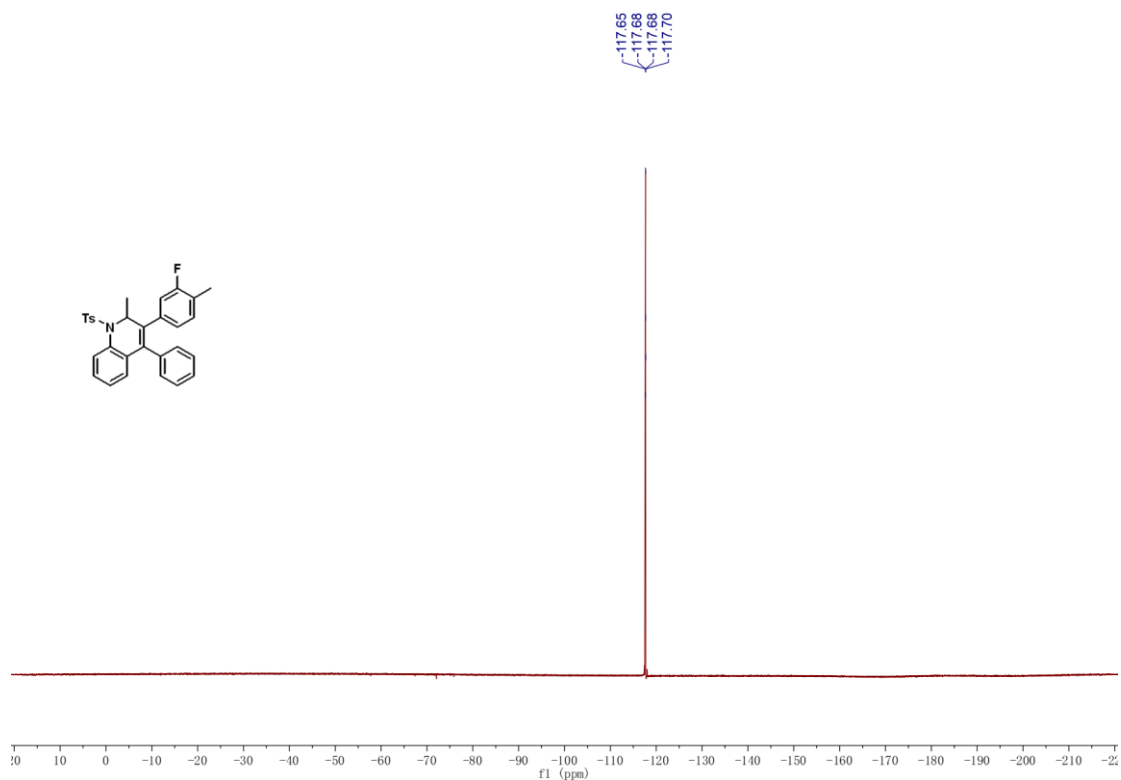


Figure S105. ¹⁹F NMR (377 MHz, CDCl₃) spectrum of 4ak

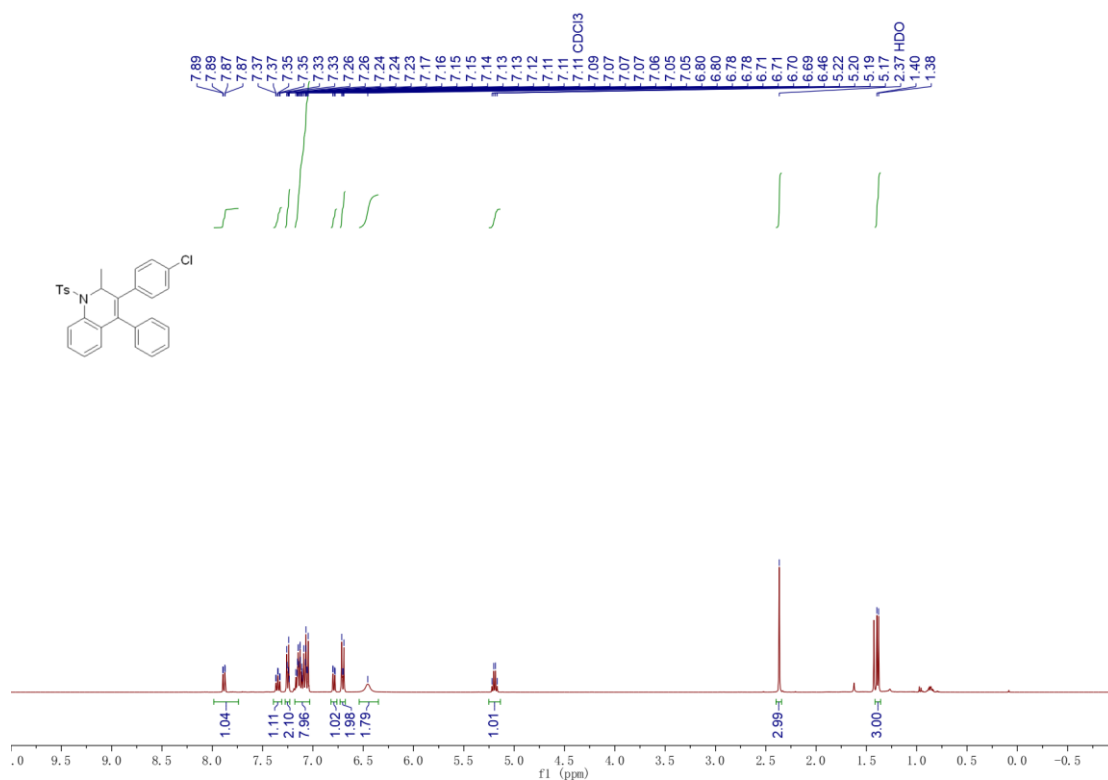


Figure S106. ¹H NMR (500 MHz, CDCl₃) spectrum of 4am

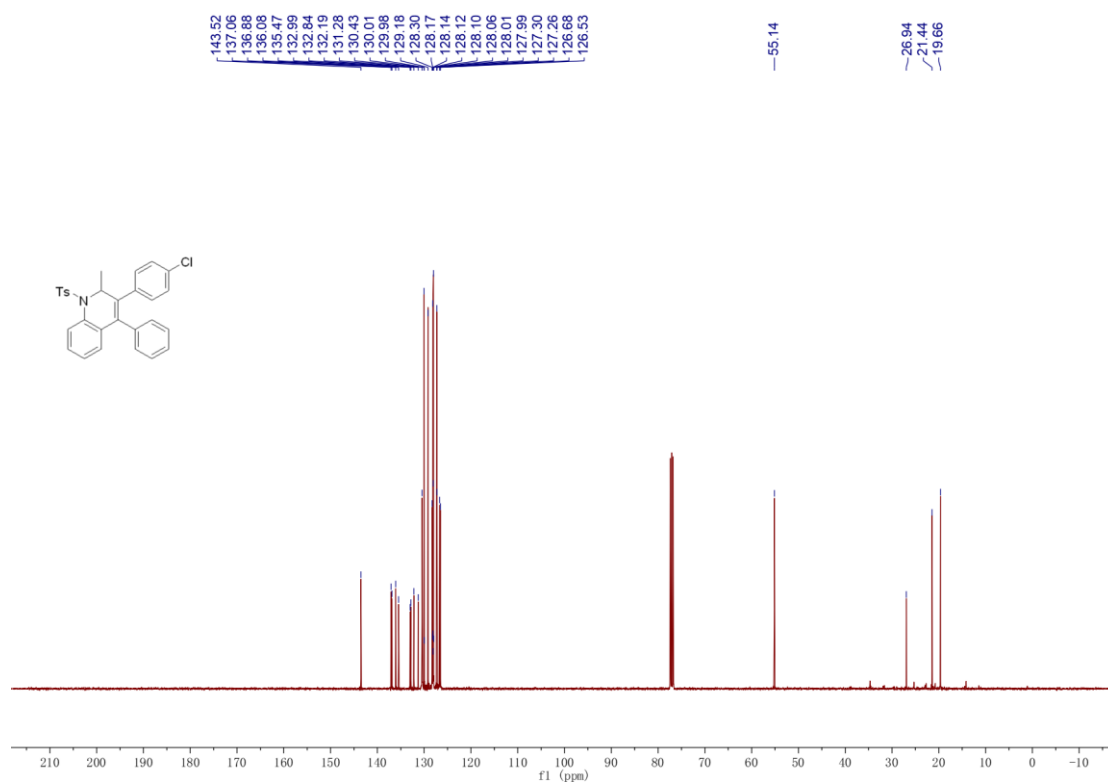


Figure S107. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4am

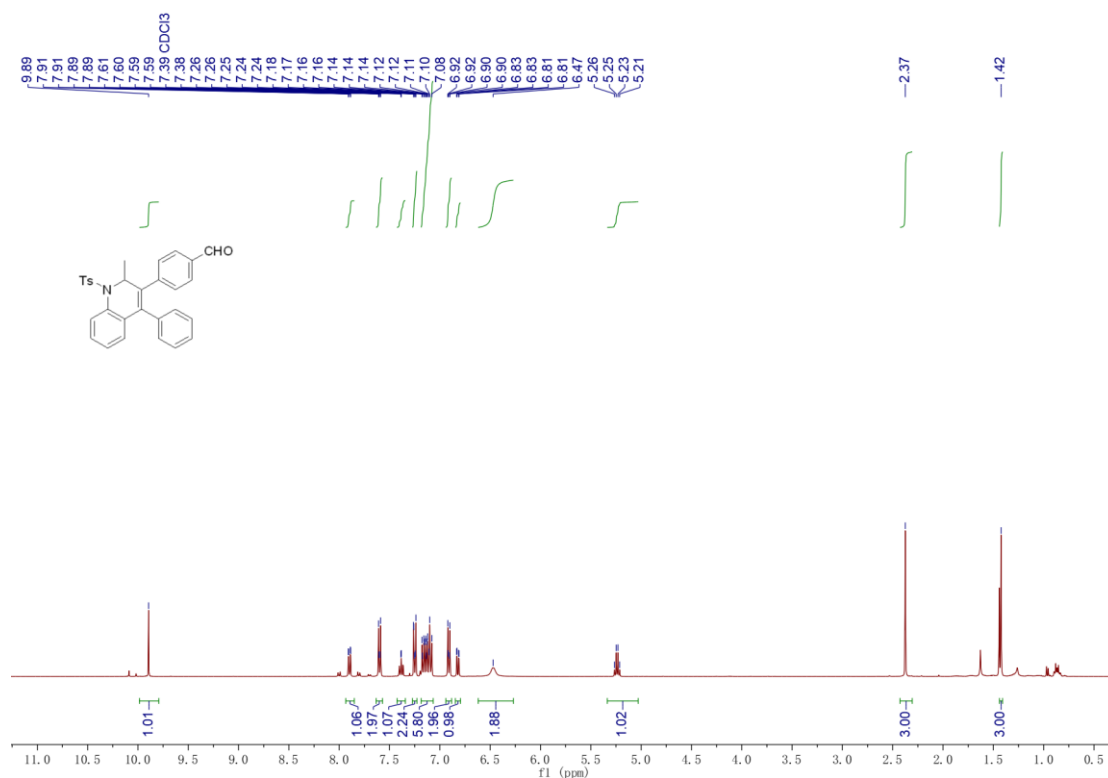


Figure S108. ¹H NMR (500 MHz, CDCl₃) spectrum of 4ao

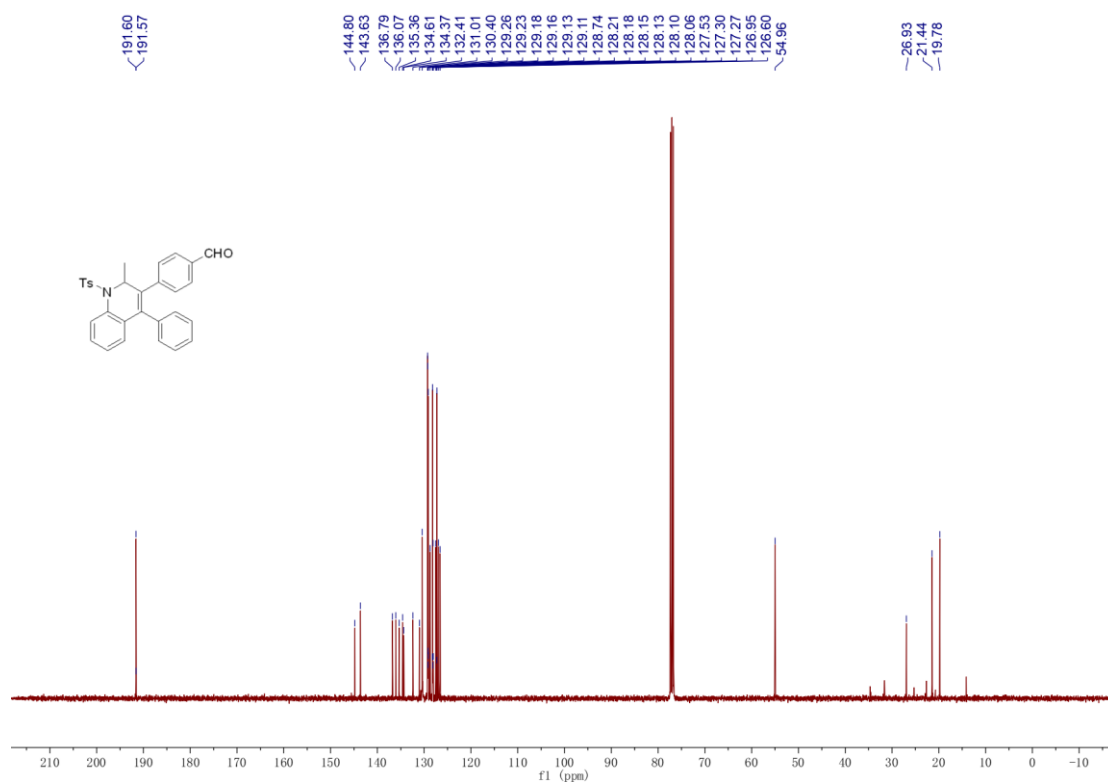


Figure S109. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4ao

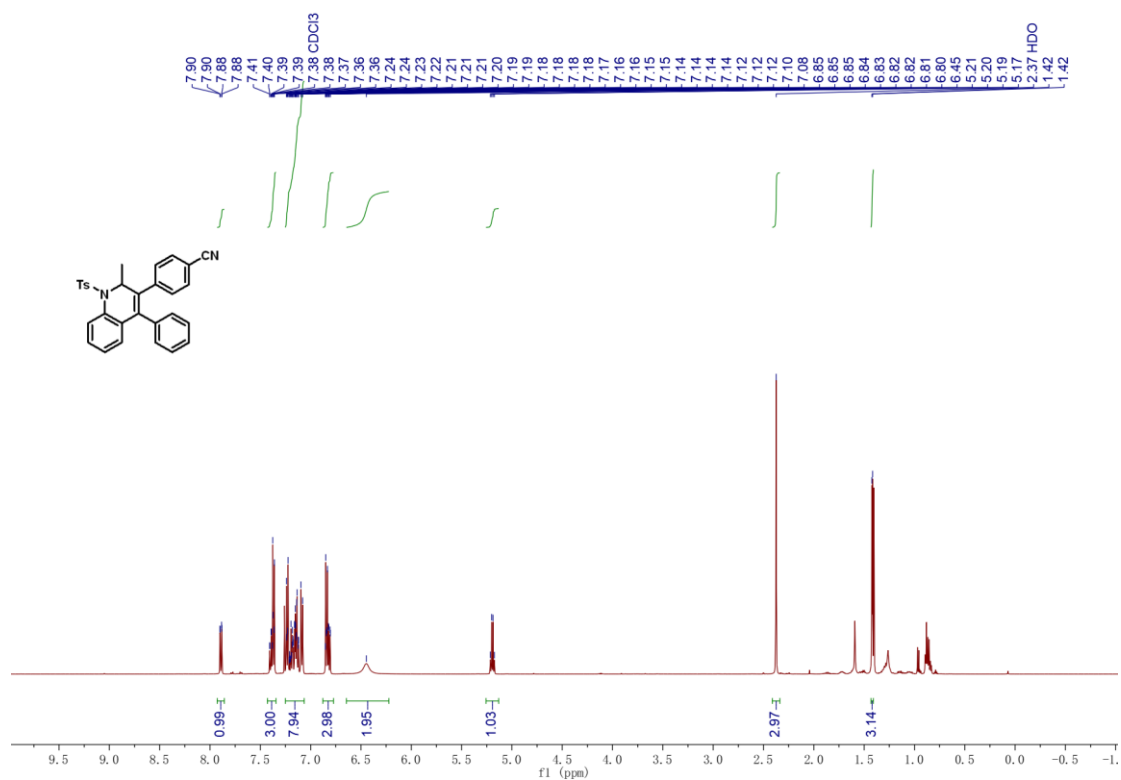


Figure S110. ¹H NMR (500 MHz, CDCl₃) spectrum of 4ap

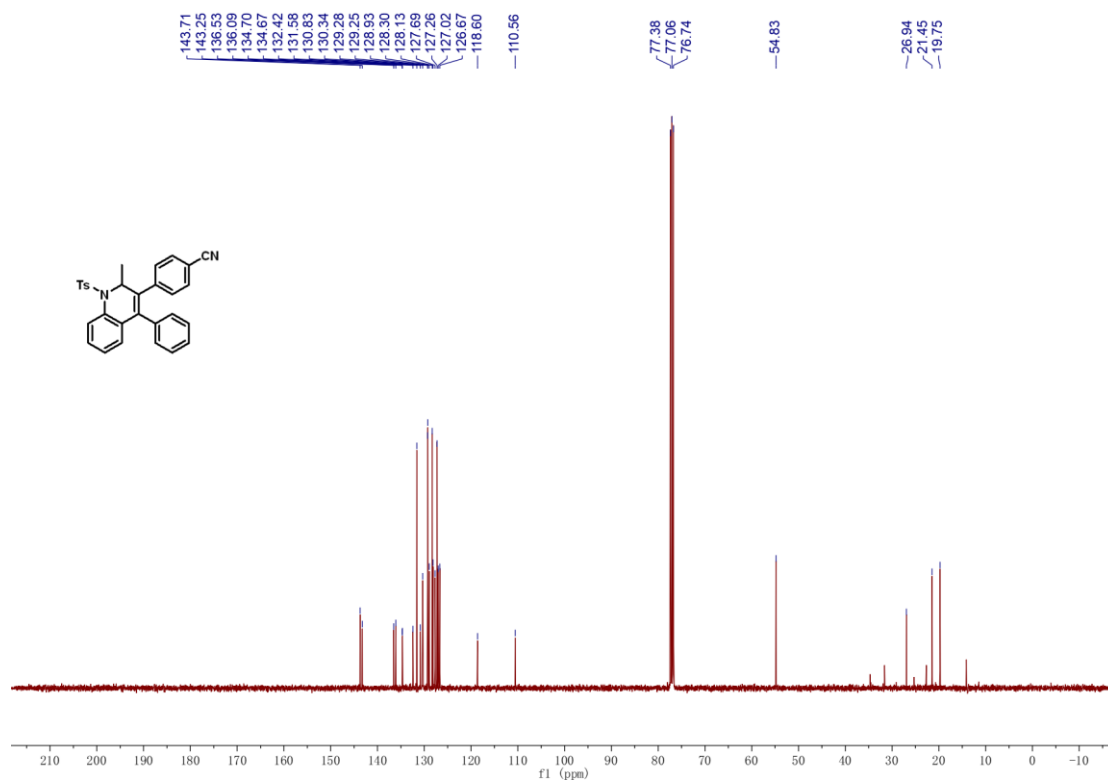


Figure S111. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4ap

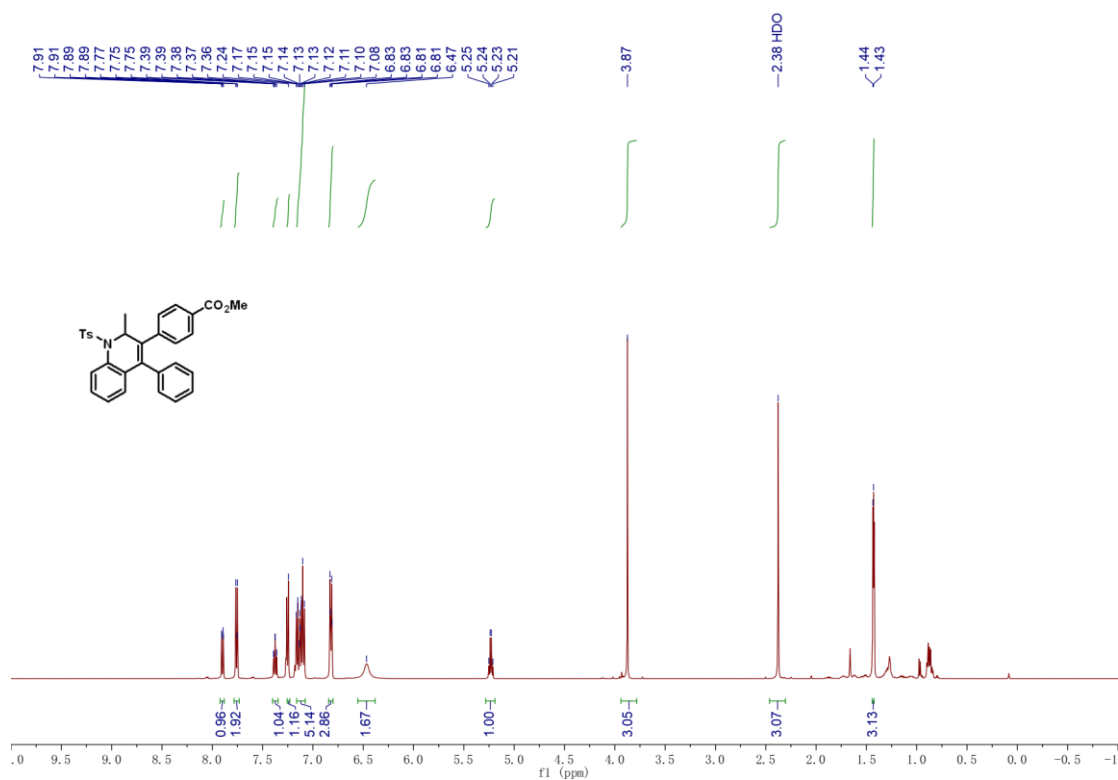


Figure S112. ¹H NMR (500 MHz, CDCl₃) spectrum of 4aq

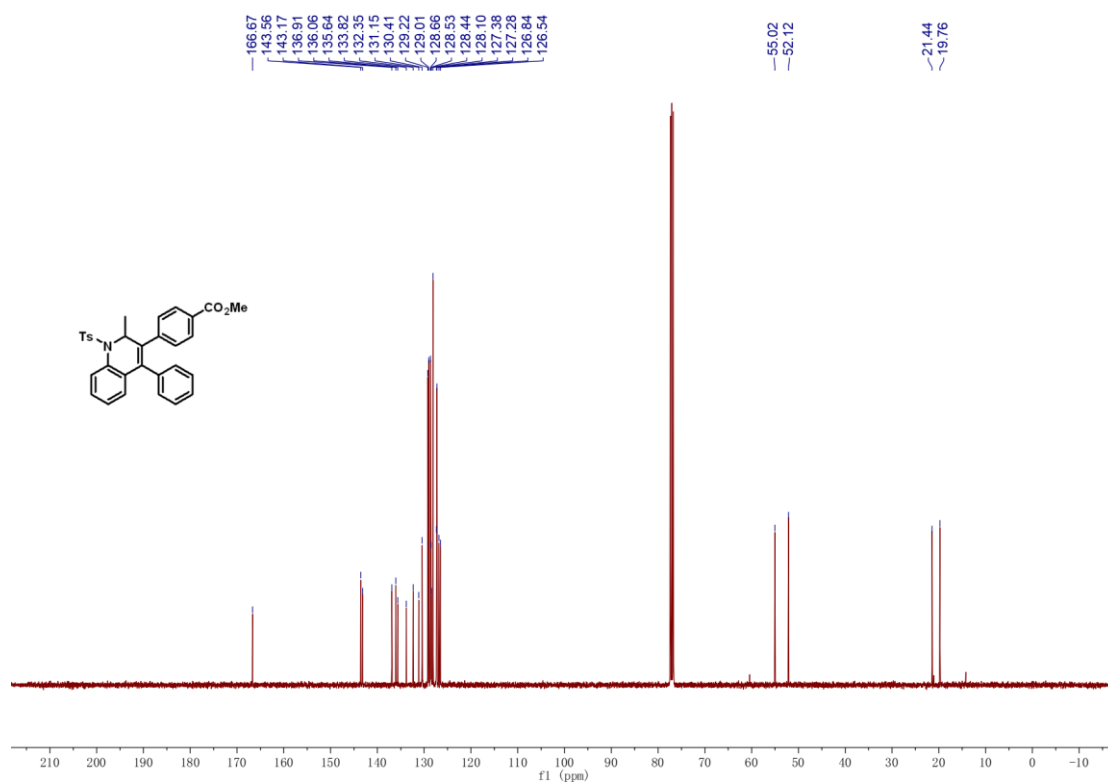


Figure S113. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4aq

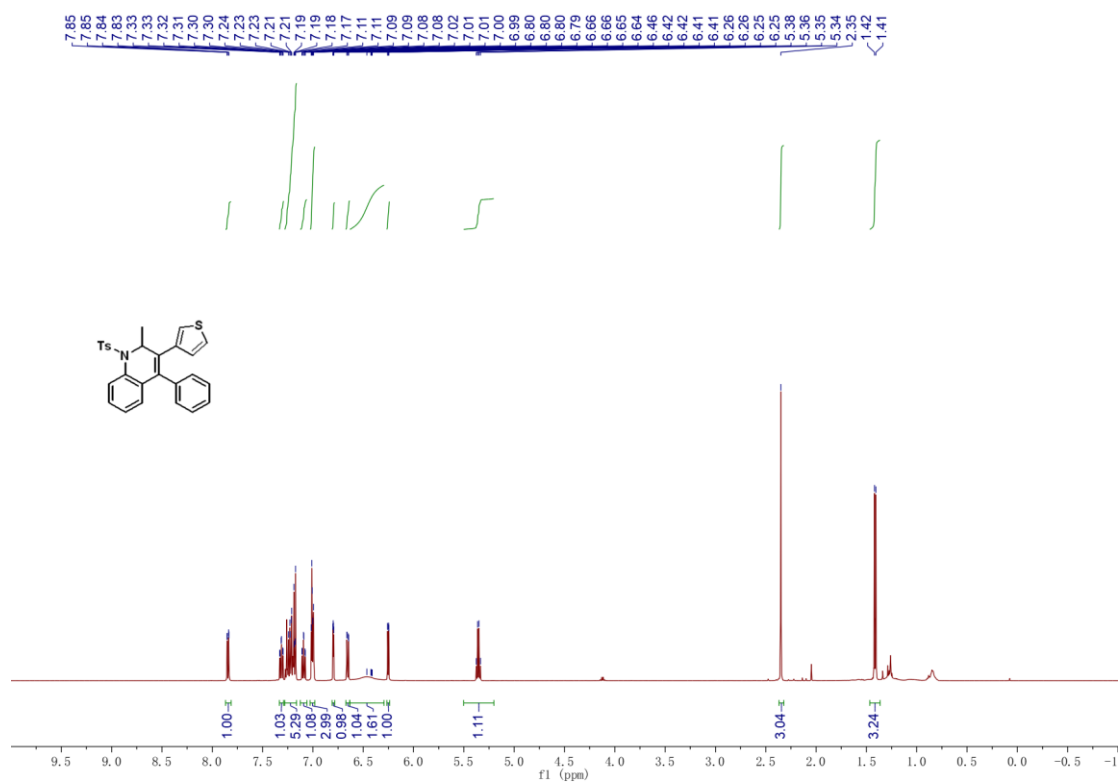


Figure S114. ¹H NMR (500 MHz, CDCl₃) spectrum of 4at

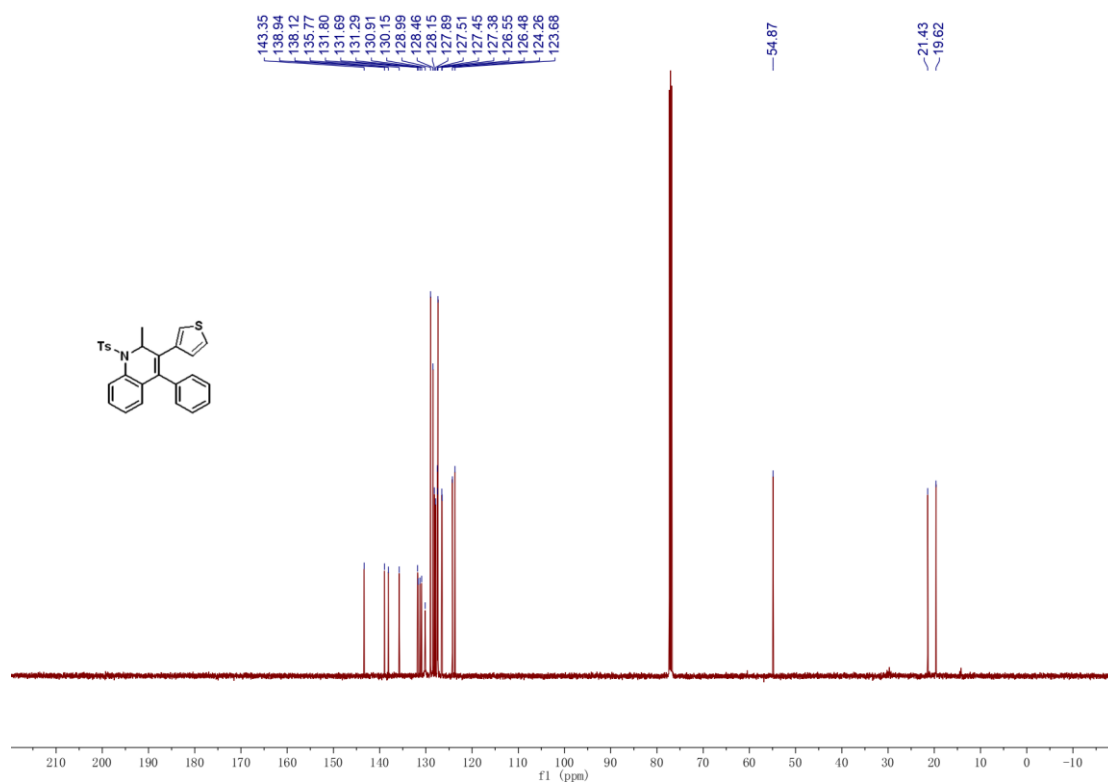


Figure S115. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4at

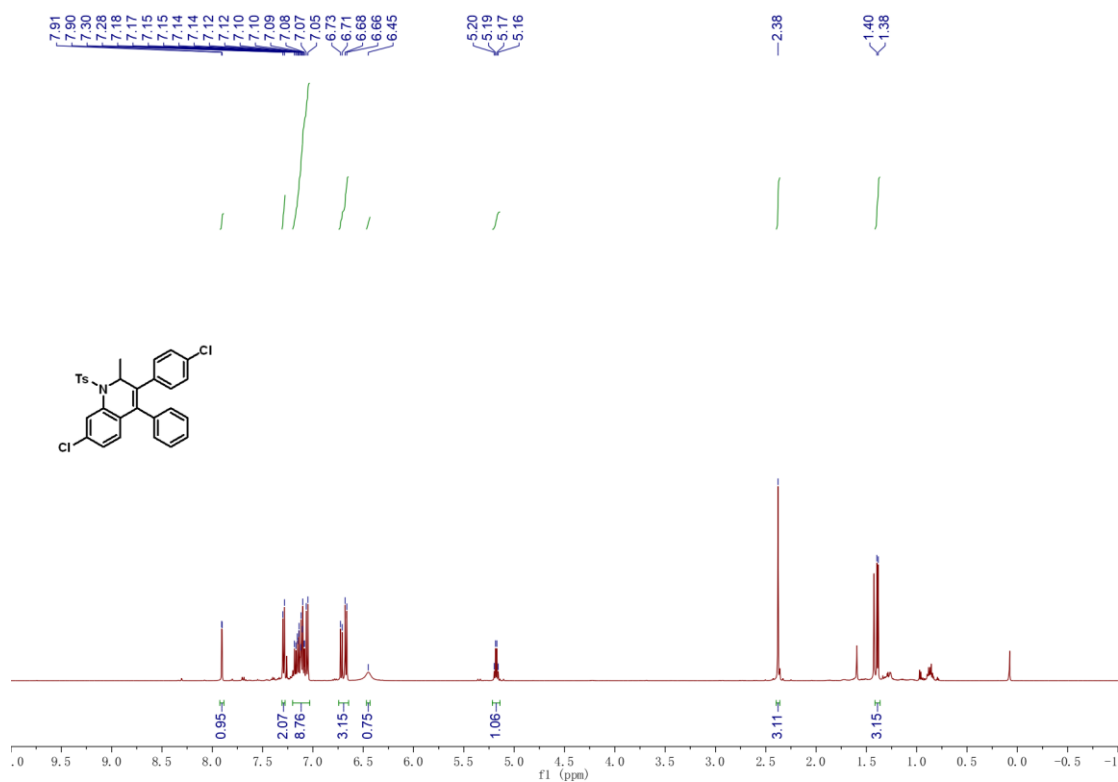


Figure S116. ¹H NMR (500 MHz, CDCl₃) spectrum of 4bm

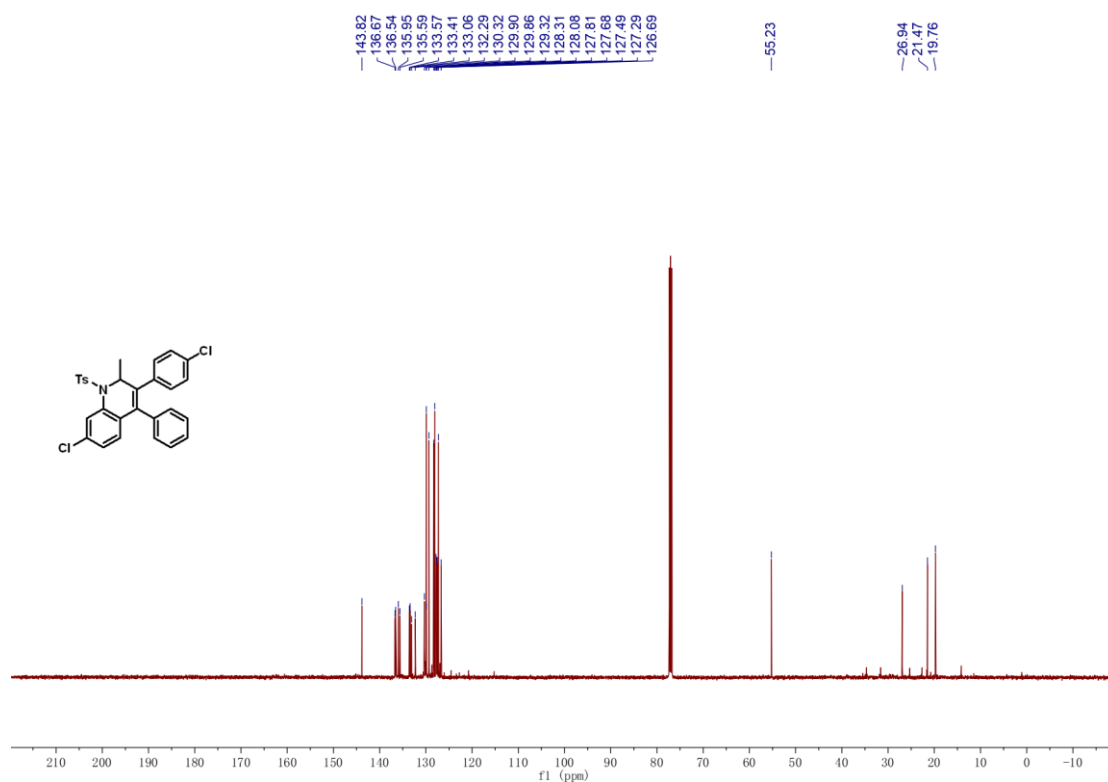


Figure S117. ^{13}C NMR (126 MHz, CDCl_3) spectrum of 4bm

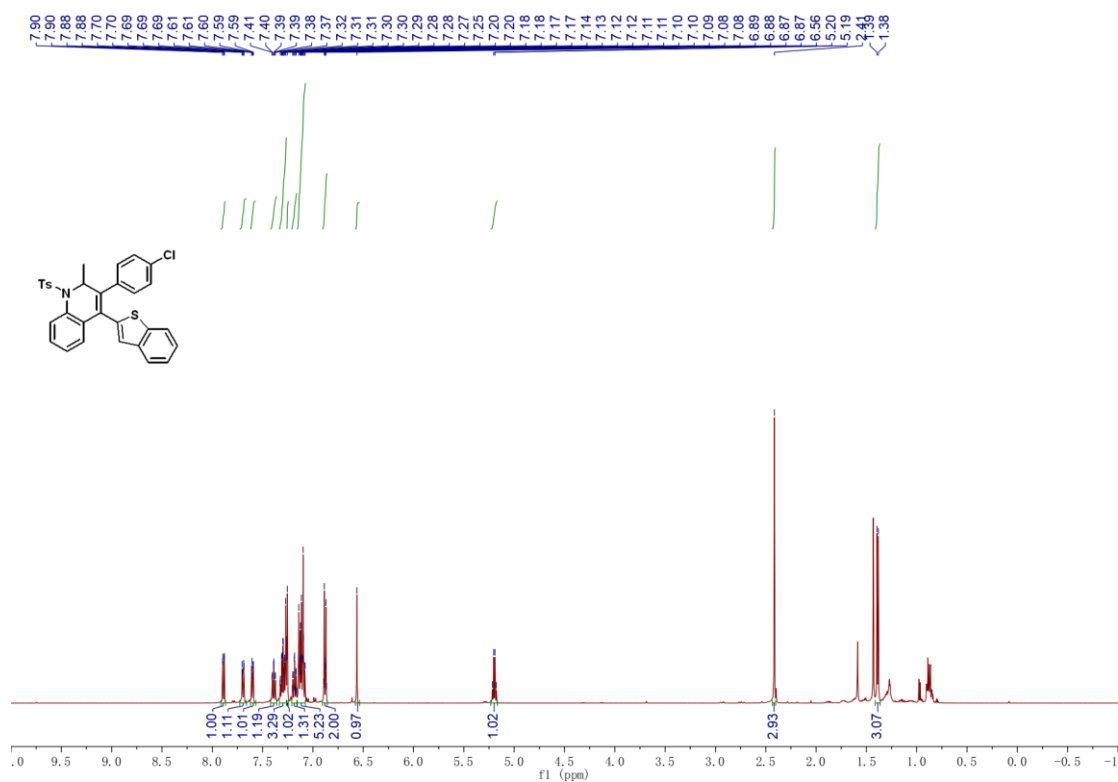


Figure S118. ^1H NMR (500 MHz, CDCl_3) spectrum of 4gm

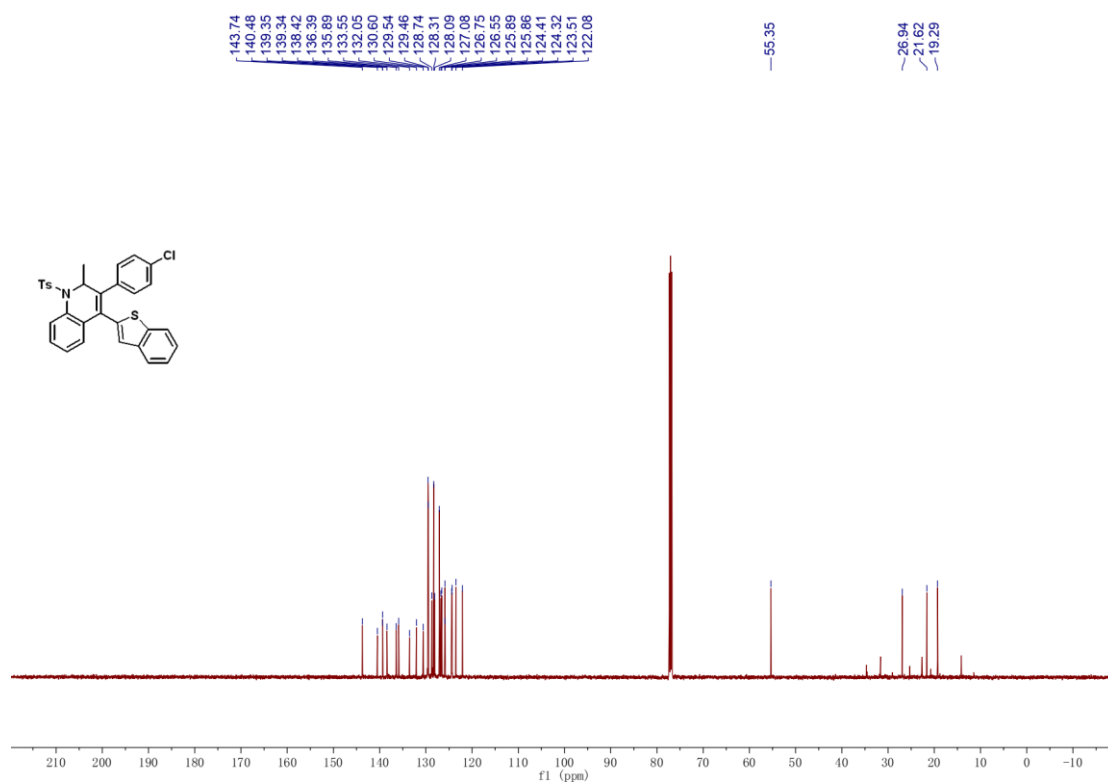


Figure S119. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4gm

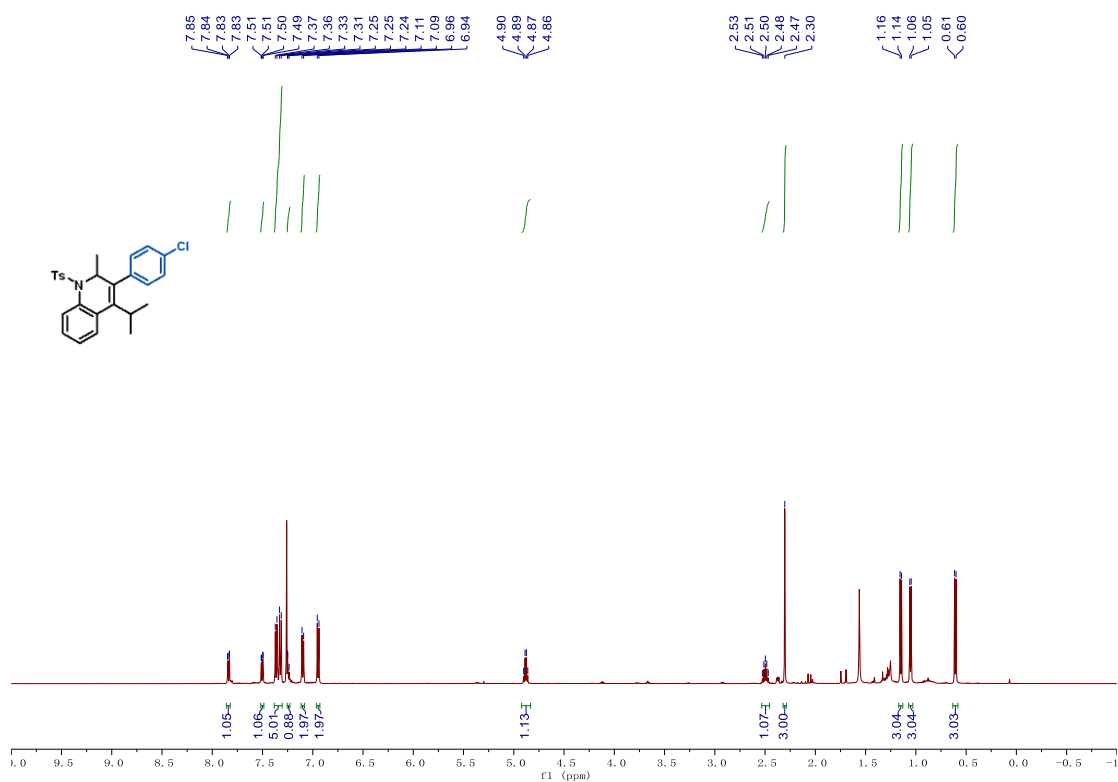


Figure S120. ¹H NMR (500 MHz, CDCl₃) spectrum of 4hm

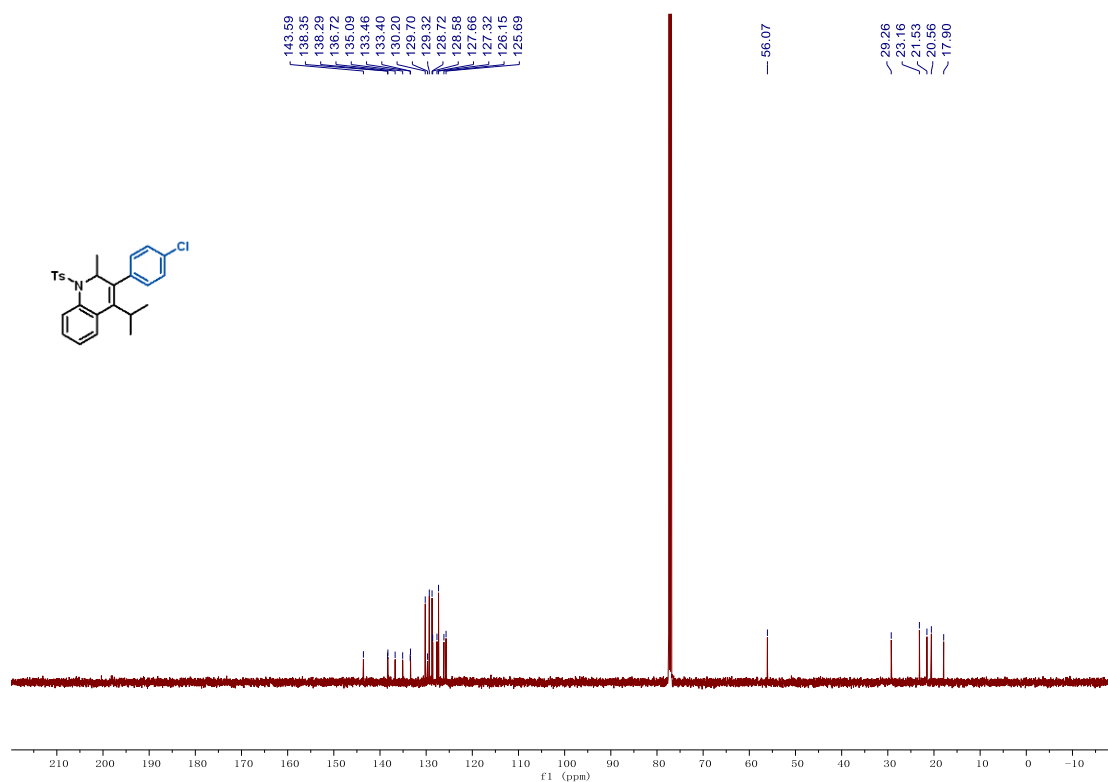


Figure S121. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4hm

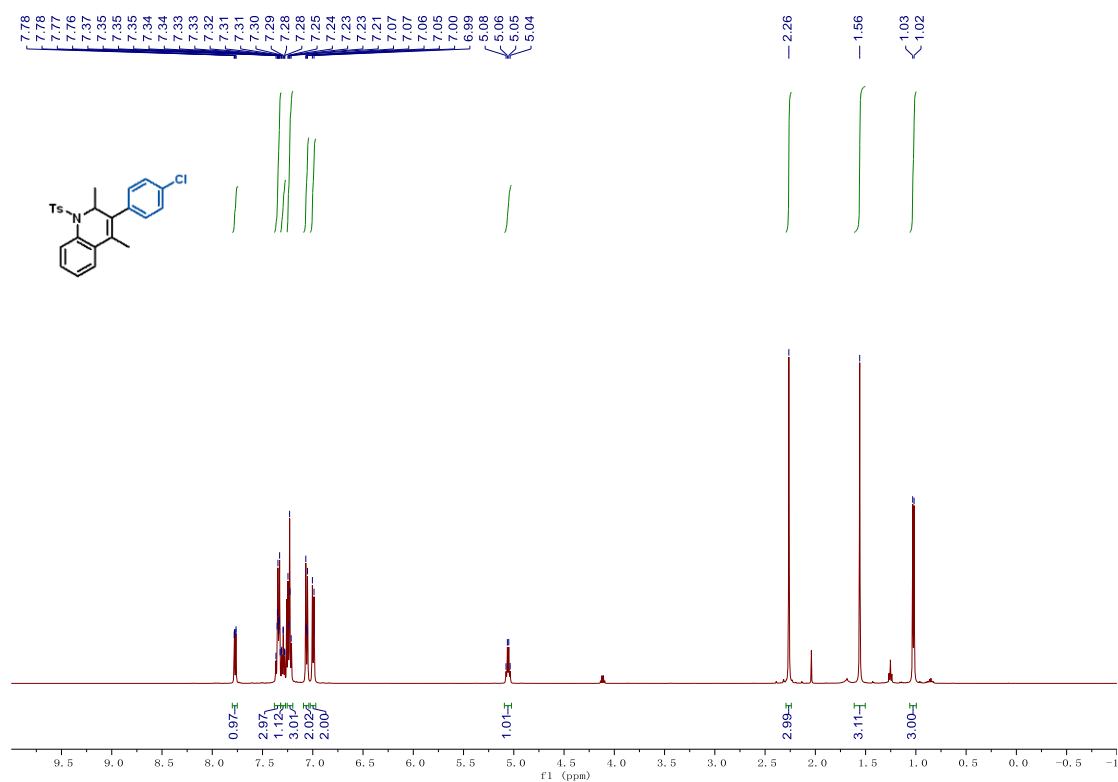


Figure S122. ¹H NMR (500 MHz, CDCl₃) spectrum of 4im

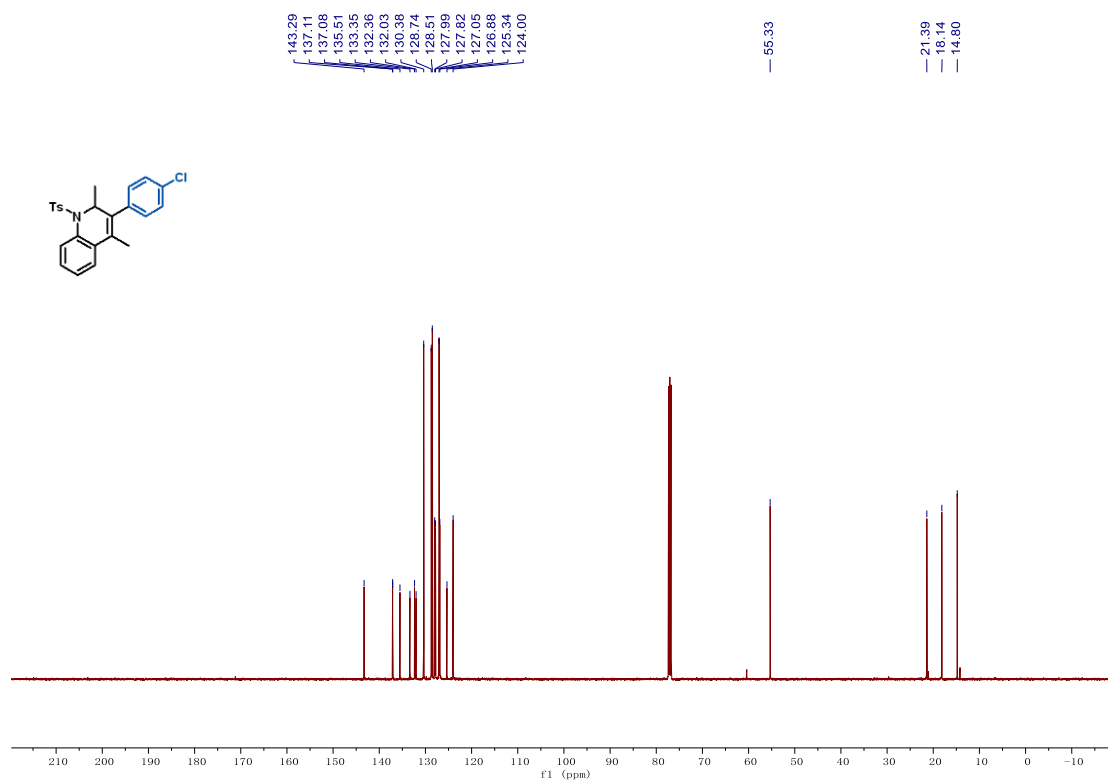


Figure S123. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4im

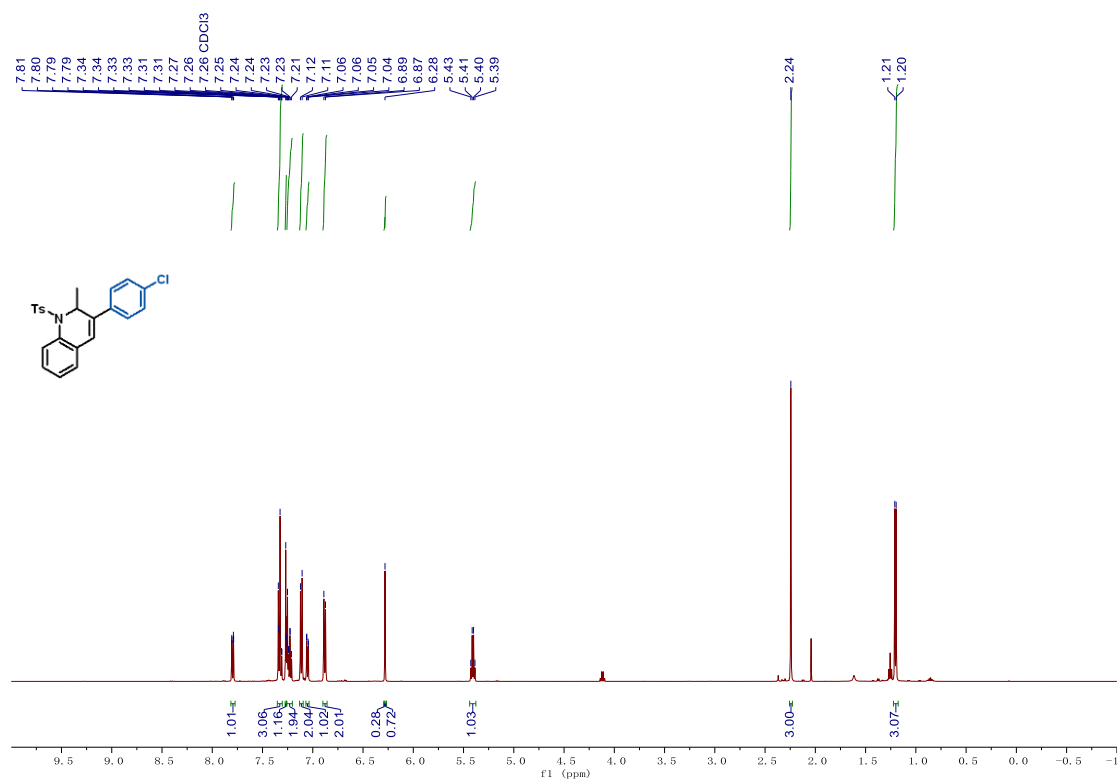


Figure S124. ¹H NMR (500 MHz, CDCl₃) spectrum of 4jm

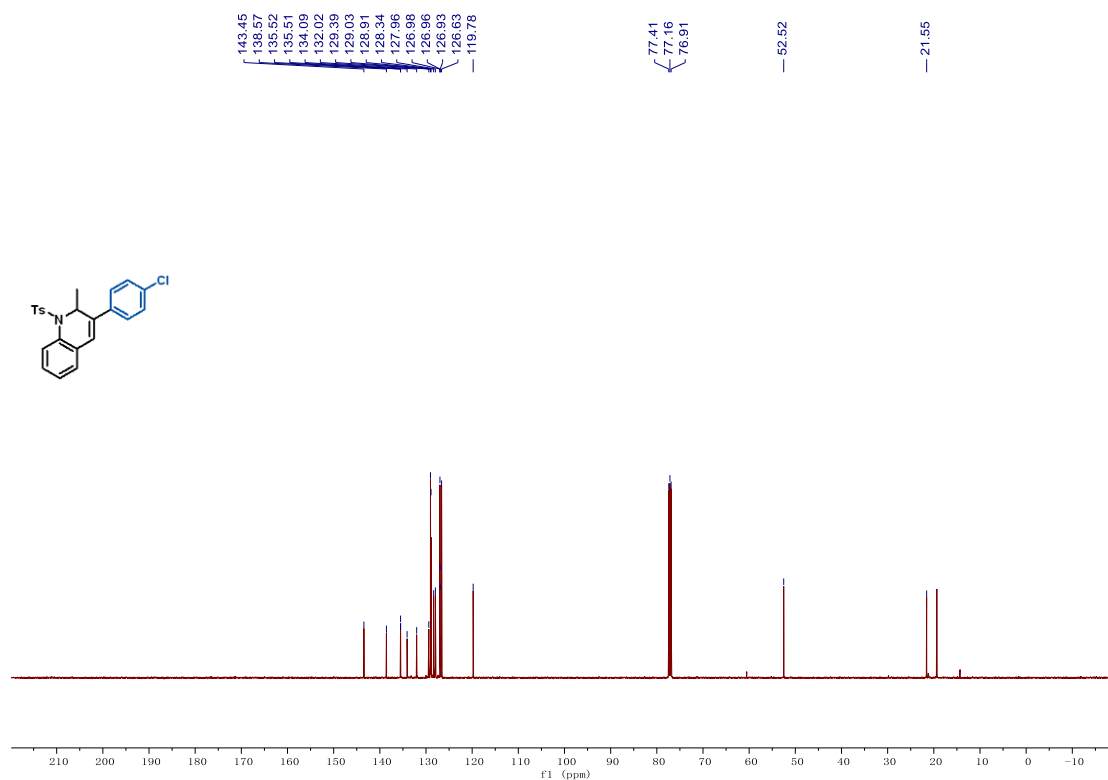


Figure S125. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4jm

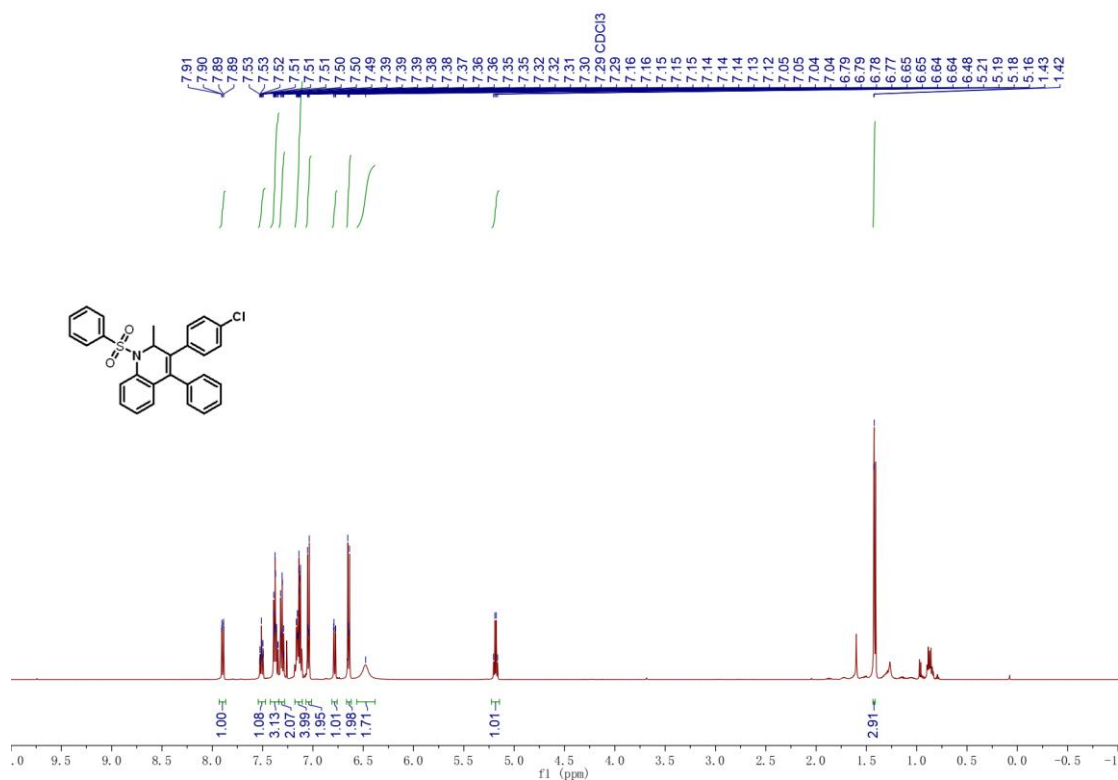


Figure S126. ¹H NMR (500 MHz, CDCl₃) spectrum of 4km

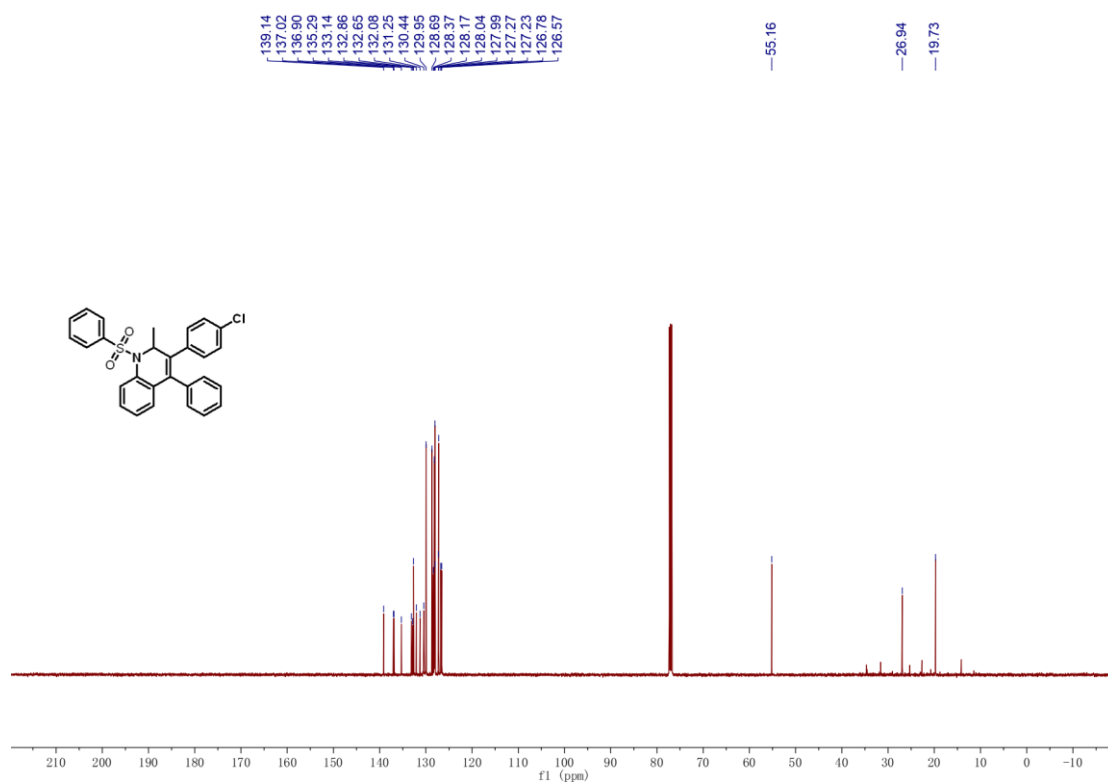


Figure S127. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4km

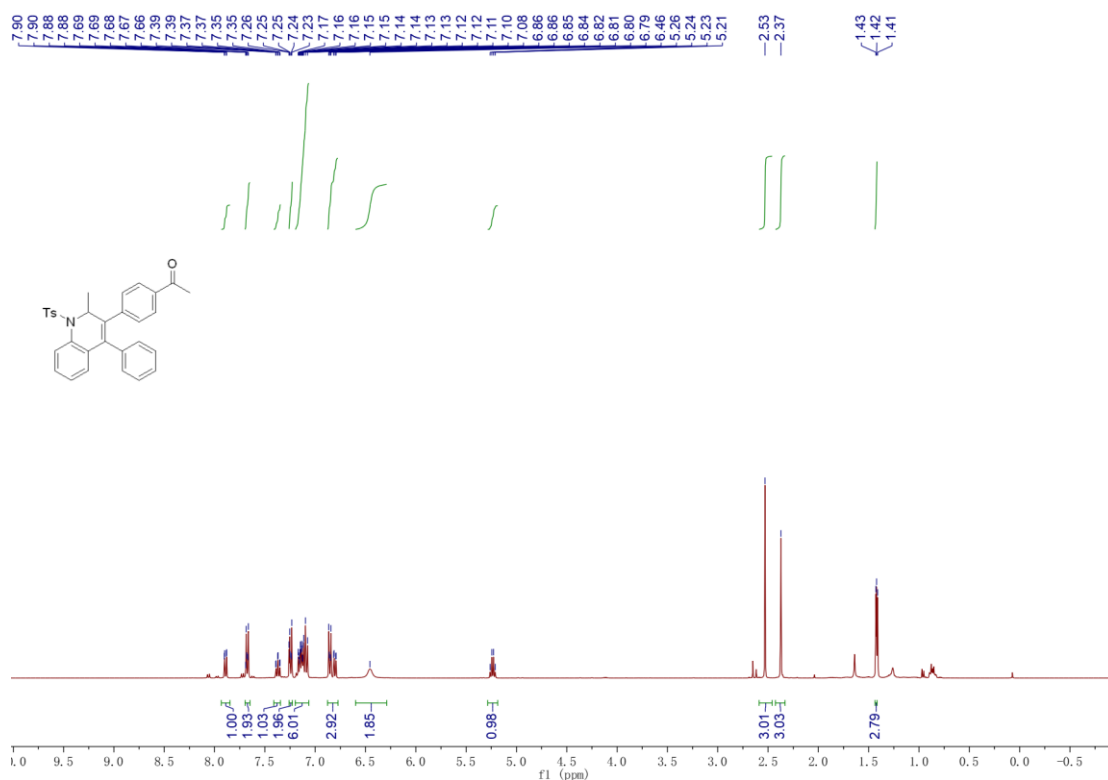


Figure S128. ¹H NMR (500 MHz, CDCl₃) spectrum of 4ar

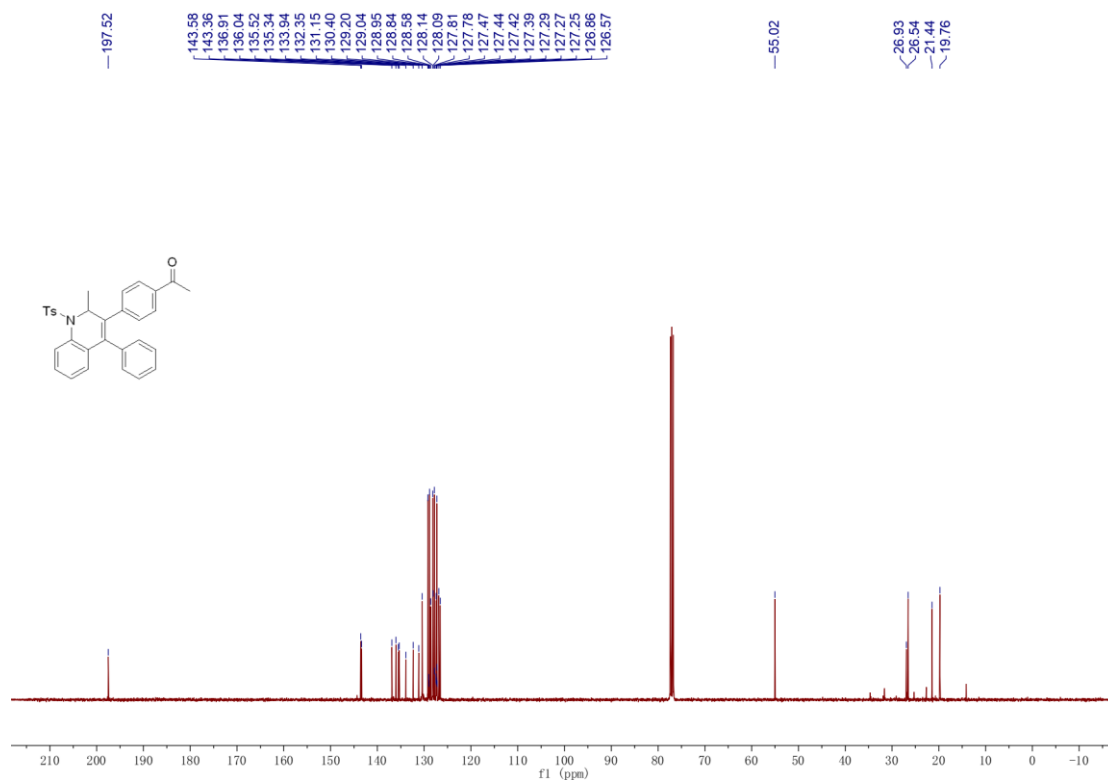


Figure S129. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4ar

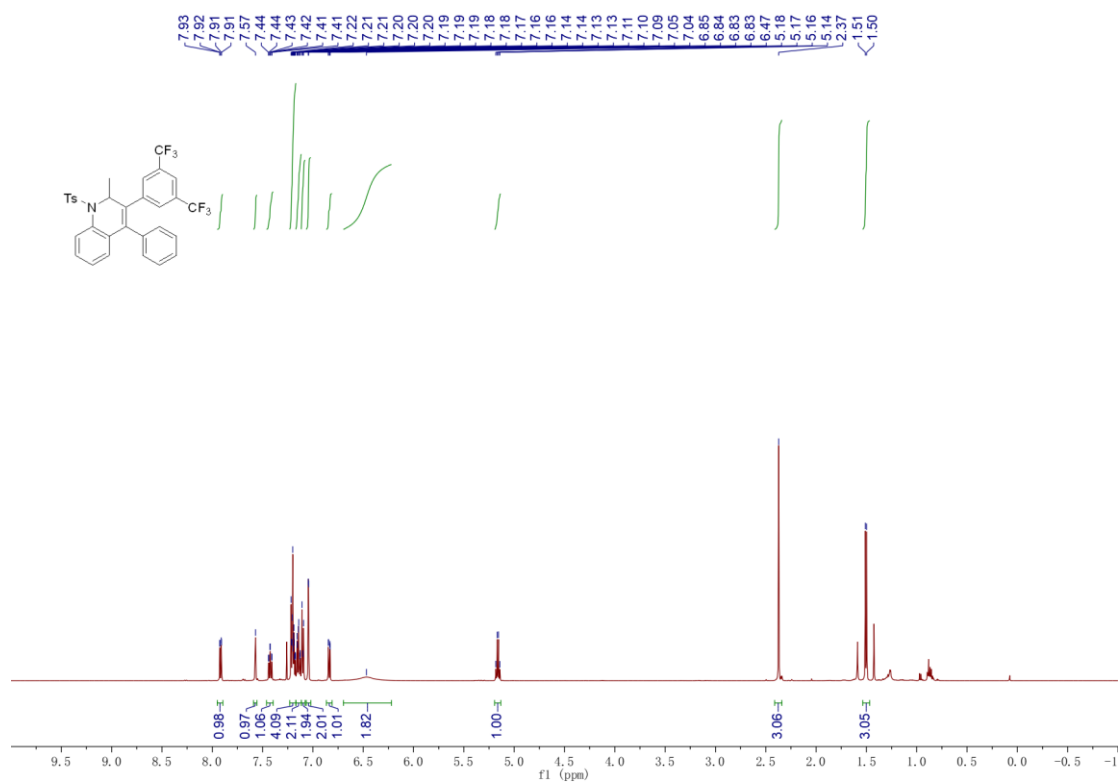


Figure S130. ¹H NMR (500 MHz, CDCl₃) spectrum of 4ay

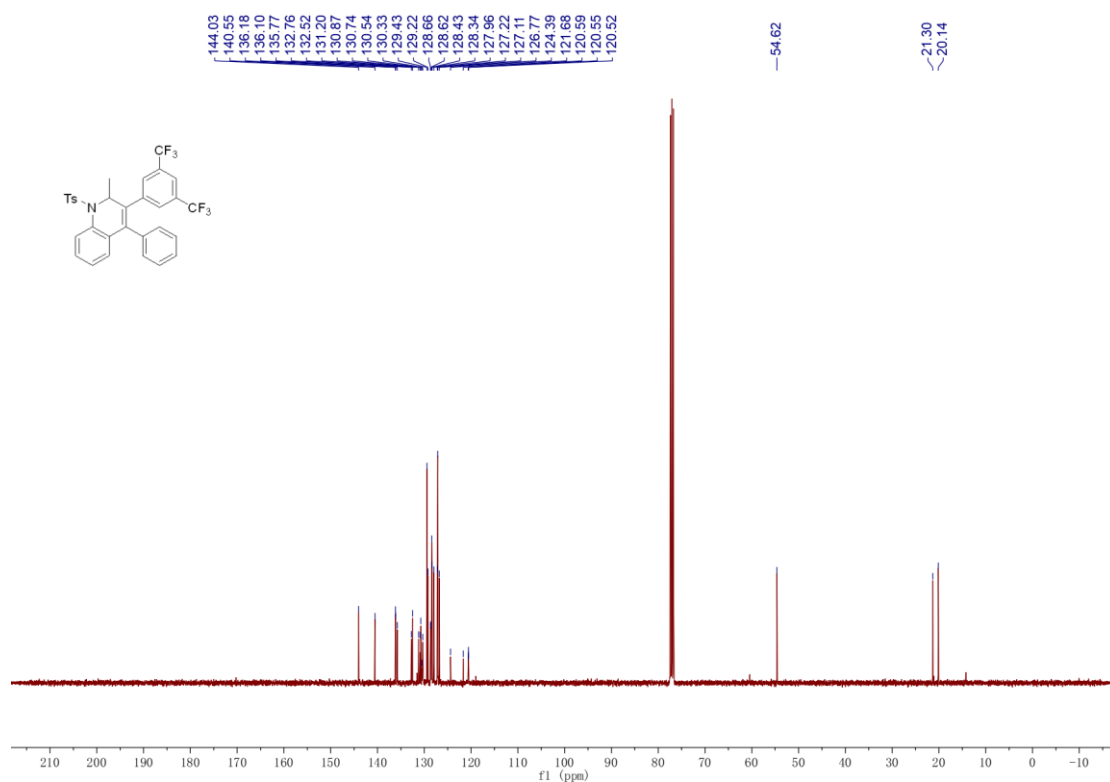


Figure S131. ¹³C NMR (126 MHz, CDCl₃) spectrum of 4ay

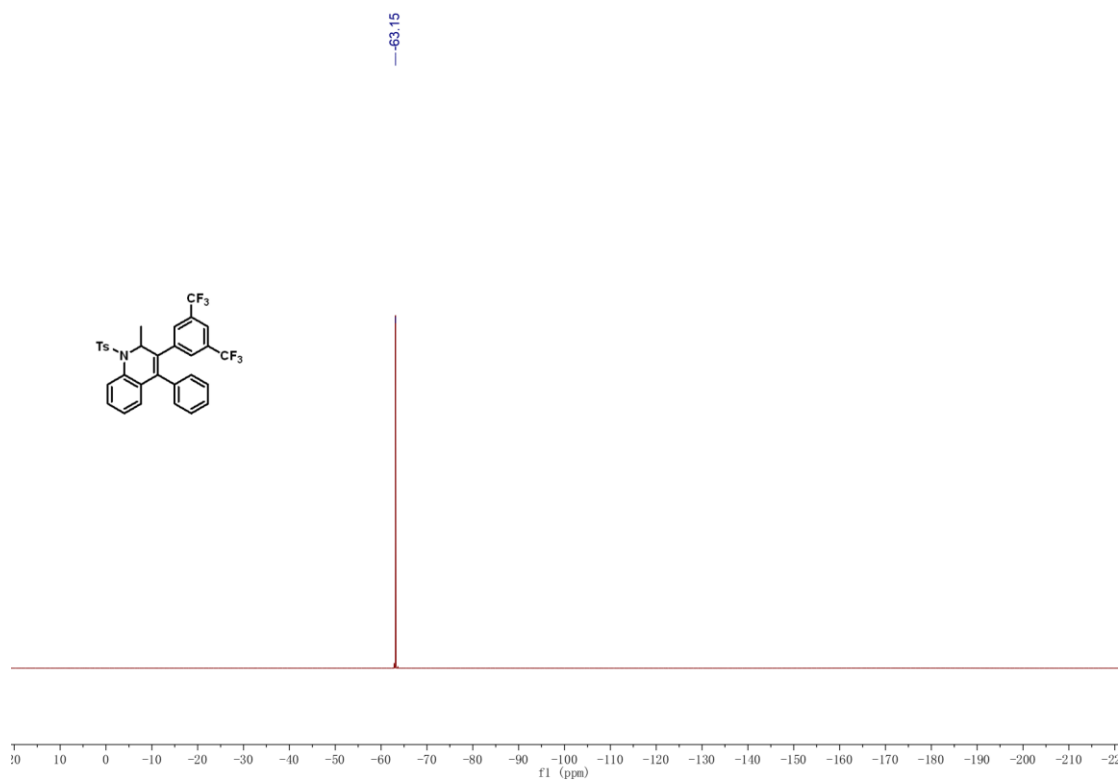


Figure S132. ¹⁹F NMR (377 MHz, CDCl₃) spectrum of 4ay