Electronic Supplementary Material (ESI) for ChemComm.

Supplementary Information

Photoinduced EnT-Mediated Sulfonamidylimination of Alkenes and (Hetero)arenes with Iminophenylacetic Acids Oxime Esters

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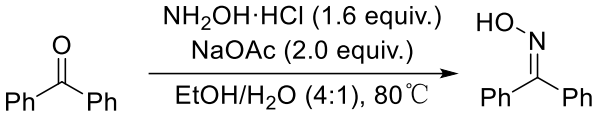
1. General Informations

All commercial reagents were used without additional purification. Reactions were monitored by thin-layer chromatography (TLC) on commercial silica gel plates (GF 254) using UV light as a visualizing agent. Products were purified by flash chromatography on 200 – 300 mesh silica gels, SiO_2 was carried out with silica gel (200−300 mesh). ^1H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded with 400 MHz, 101 MHz and 377 MHz spectrometers in $CDCl_3$ by using tetramethylsilane (TMS) as the internal standard, respectively. High-resolution mass spectra (HRMS) were recorded using a positive-ion electrospray ionization (ESI+) source.

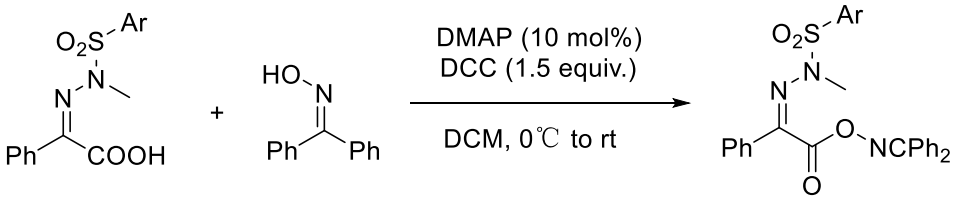
2. Methods for the synthesis of substrates

2.1 Preparation method of benzophenone oxime



In a 250 mL round bottom flask equipped with a condenser, aromatic ketones (50.0 mmol, 1.0 equiv.) were dissolved in the mixture of EtOH/H_2O (v/v, 4:1, 125 mL). Then, hydroxylamine hydrochloride (80.0 mmol, 1.6 equiv.) and NaOAc (100.0 mmol, 2.0 equiv.) were added in one portion After the reaction mixture was refluxed in an oil bath at 80°C overnight, the consumption of starting material was monitored by TLC. In order to remove as much ethanol as possible, the reaction was then cooled to room temperature and added 50 mL saturated $NaHCO_3$ carefully. Then extracted with ethyl acetate 3 times and then dried over anhydrous Na_2SO_4. Evaporation of the solvent afforded the product as a white solid in quantitative yield.

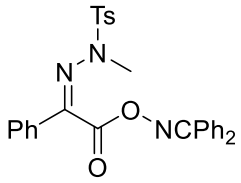
2.2 Preparation method of bifunctional reagents



Carboxylic acid were prepared following a previously reported procedure[1]. Benzophenone oxim (10.0 mmol) and aliphatic carboxylic acid (10.0 mmol) were dissolved in CH_2Cl_2 (50 mL). The reaction bath is lowered to 0 °C in a low-temperature stirring reaction bath. Then, DCC (1.3 equiv.) and DMAP (10 mol%, 0.2 mmol) was added sequentially. The mixture was stirred at room temperature under argon atmosphere until the reaction was complete as monitored by TLC

analysis. The reaction mixture was diluted with distilled water (25 mL) and then sonicated for 15 minutes. The formed precipitate was filtered off, then the CH_2Cl_2 layer was separated, dried over anhydrous Na_2SO_4 and concentrated to afford an oil. Added 10 mL ethyl acetate, then a white solid was formed. Filter the solid and then wash the solid with cold ethyl acetate 5 mL and dried under vacuum to obtain the oxime esters. (**It should be noticed that these oxime esters were unstable in silica gel**.)

Characterization data of substrates



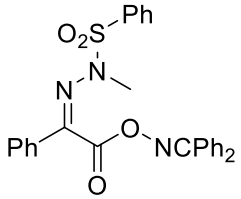
*(Z)-N'-(2-((((diphenylmethylene)amino)oxy)-2-oxo-1-phenylethylidene)-N,4-dimethylbenzenesulfonohydrazide (**a1**)*

Synthesized by following General Procedure using diphenylmethanone oxime (1.96 g, 10.0 mmol) and (*Z*)-2-(2-methyl-2-tosylhydrazineylidene)-2-phenylacetic acid (3.32 g, 10.0 mmol) to afford as white solid (4.16 g, 81%).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.0 Hz, 2H), 7.70 (d, *J* = 8.9 Hz, 2H), 7.55 (d, *J* = 7.7 Hz, 2H), 7.53 – 7.32 (m, 13H), 2.83 (s, 3H), 2.46 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.57, 164.24, 163.19, 144.54, 134.26, 132.23, 131.63, 131.28, 131.09, 130.68, 130.06, 129.76, 129.41, 129.32, 129.22, 128.84, 128.47, 128.43, 127.84, 39.78, 21.71.

HRMS (ESI) m/z calcd for $C_{29}H_{25}N_3O_4SNa^+$ $(M+Na)^+$ 534.1458, found 534.1455.



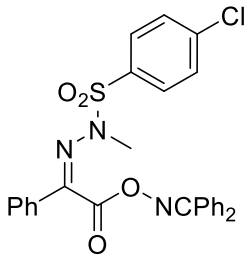
*(Z)-N'-(2-((((diphenylmethylene)amino)oxy)-2-oxo-1-phenylethylidene)-N-methylbenzenesulfonohydrazide (**a2**)*

Synthesized by following General Procedure using diphenylmethanone oxime (1.96 g, 10.0 mmol) and (*Z*)-2-(2-methyl-2-(phenylsulfonyl)hydrazineylidene)-2-phenylacetic acid (3.18 g, 10.0 mmol) to afford as white solid (3.65 g, 73%).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.97 – 7.90 (m, 2H), 7.73 – 7.62 (m, 3H), 7.61 – 7.52 (m, 4H), 7.51 – 7.31 (m, 11H), 2.83 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.59, 164.47, 163.19, 134.23, 133.72, 133.59, 132.29, 131.62, 131.30, 131.02, 130.06, 129.74, 129.32, 129.20, 128.86, 128.74, 128.48, 128.44, 127.85, 39.76.

HRMS (ESI) m/z calcd for $C_{28}H_{23}N_3O_4SNa^+$ $(M+Na)^+$ 520.1301, found 520.1307.



(*Z*)-4-chloro-N'-(2-(((diphenylmethylene)amino)oxy)-2-oxo-1-phenylethylidene)-N-methylbenzenesulfonohydrazide) （***a3***）

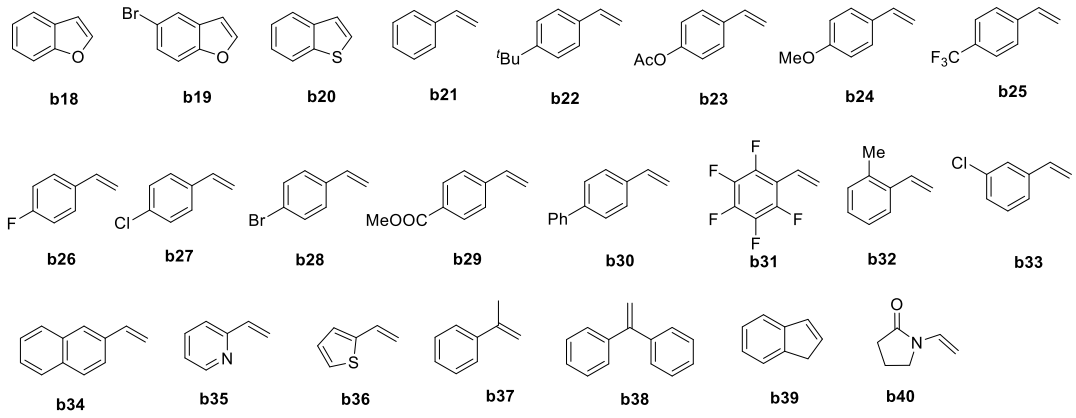
Synthesized by following General Procedure using diphenylmethanone oxime (1.96 g, 10.0 mmol) and (Z)-2-(2-methyl-2-(phenylsulfonyl)hydrazineylidene)-2-phenylacetic acid (3.52 g, 10.0 mmol) to afford as white solid (3.98 g, 75%).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 (d, *J* = 8.5 Hz, 2H), 7.72 (d, *J* = 7.3 Hz, 2H), 7.57 – 7.35 (m, 15H), 2.85 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.29, 166.07, 165.36, 140.33, 134.17, 132.50, 132.12, 131.62, 131.35, 131.14, 130.82, 130.08, 129.29, 129.15, 129.10, 128.94, 128.50, 128.46, 127.88, 39.81.

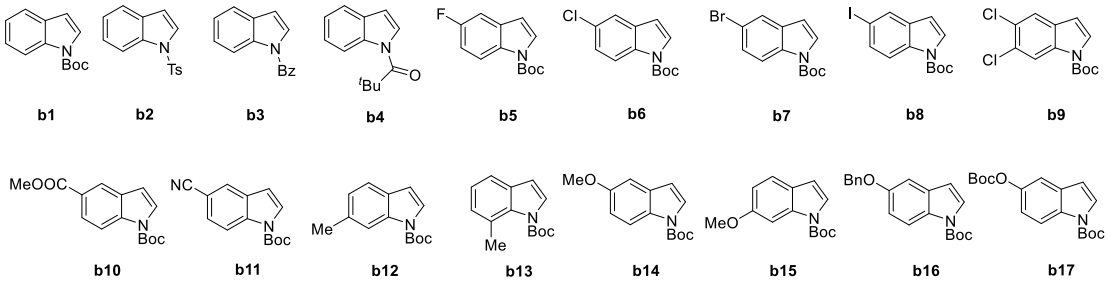
HRMS (ESI) m/z calcd for $C_{28}H_{22}ClN_3O_4SNa^+$ $(M+Na)^+$ 554.0912, found 554.0896.

Commercially available alkenes

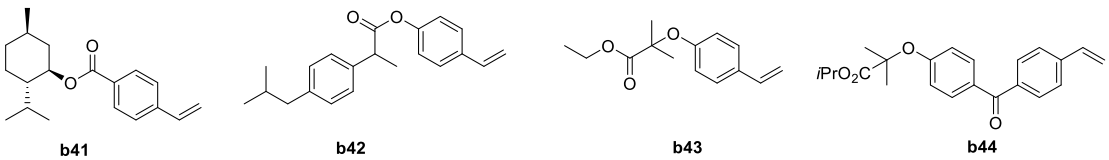


Preparation of alkenes and (hetero)arenes

(Hetero)arenes **b1**[2], **b2-b4**[3] and **b5-b17**[2] were prepared from corresponding commercially available indoles using reported method.

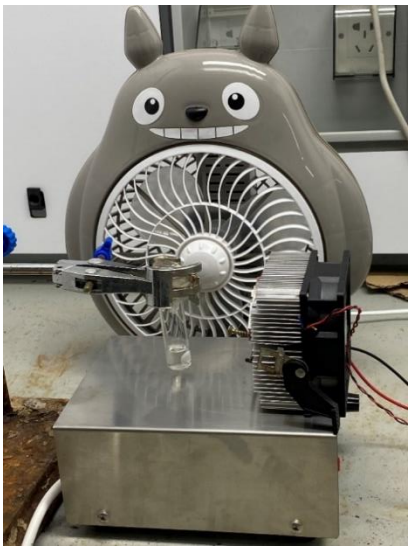


Styrene derivatives **b41**[4], **b42**[5], **b43**[6] **and b44**[7] were prepared from corresponding commercially nature products and drugs using reported method.

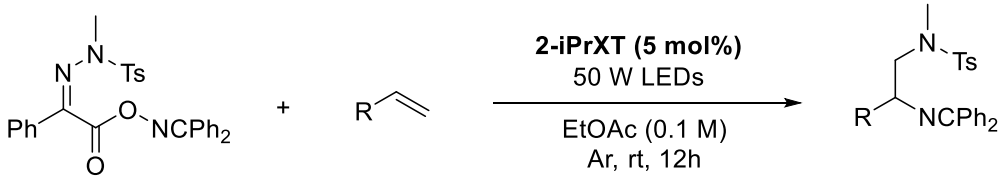


3. Experimental section

3.1 Reaction set-up

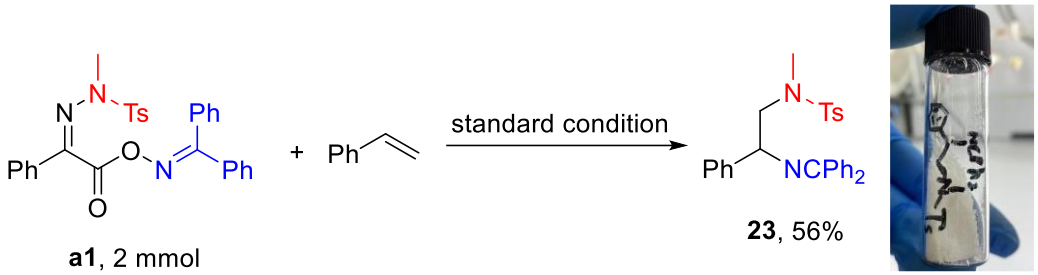


3.2 General produced of sulfonamidylimination of alkenes and (hetero)arenes



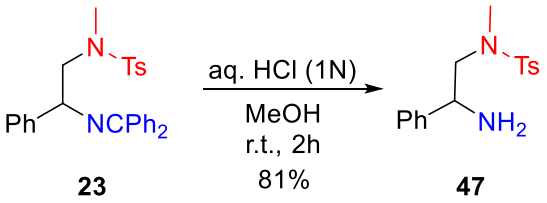
An oven dried 8 mL reaction vial was charged with a stir bar, bifunctional reagent (0.2 mmol, 1.0 equiv.), and 2-iPrTX photosensitizer (2.5 mg, 5 mol %) were charged under air. The reaction vial was sealed, evacuated and backfilled three times with Ar. Then under Ar atmosphere, added of EtOAc (2.0 mL, 0.1 M) and radical acceptors (0.4 mmol, 2.0 equiv.). The reaction mixture was stirred and irradiated using a 50 W 395 nm LED lamp for 8 hours until the reaction was complete. After irradiation, the resulting homogenous solution was transferred to a 25 mL round bottom flask with aid of EtOAc (2 x 3 mL). NEt_3 (approx. 0.5 mL) and SiO_2 were added to this solution and the volatiles were removed under reduced pressure, affording a powder which was loaded on column. Purification by flash column chromatography on SiO_2, pre-basified with NEt_3 using pentane: EtOAc mixtures afforded the corresponding products.

3.3 Scale-up reaction



An oven dried 50 mL Schlenk tube was charged with a stir bar, bifunctional reagent **a1** (2 mmol, 1.0 equiv.), and 2-*i*PrTX photosensitizer (25.4 mg, 5 mol %) were charged under air. The reaction vial was sealed, evacuated and backfilled three times with Ar. Then under Ar atmosphere, added of EtOAc (20 mL, 0.1 M) and ethenylbenzene (4 mmol, 2.0 equiv.). The reaction mixture was stirred and irradiated using a 50 W 395 nm LED lamp for 8h until the reaction was complete. After irradiation, the resulting homogenous solution was transferred to a 50 mL round bottom flask with aid of EtOAc (2 x 5 mL). NEt_3 (approx. 3 mL) and SiO_2 were added to this solution and the volatiles were removed under reduced pressure, affording a powder which was loaded on column. Purification by flash column chromatography on SiO_2, pre-basified with NEt_3 using pentane: EtOAc mixtures afforded the corresponding products.

3.4 Products derivatization

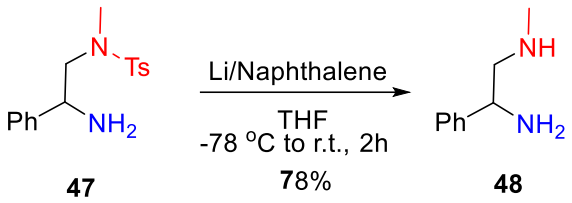


A 25 mL vial was charged with compound **22** (187.3 mg, 0.4 mmol), MeOH (4.0 mL) and 1N /HCl (4.0 mL) were added. The reaction was stirred at room temperature for 2 hours. In order to remove as much methanol as possible, the reaction was then diluted with DCM (5.0 mL) and H_2O (4.0 mL). The organic layer was separated, and the aqueous layer was extracted with DCM (10.0 mL x 2). The combined organic phases were dried (Na_2SO_4), filtered, and evaporated. Crude mixture was purified using column chromatography to give **46** as a colorless oil (98.5 mg, 81%).

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.67 (d, *J* = 7.9 Hz, 2H), 7.42 – 7.24 (m, 7H), 4.23 (dd, *J* = 9.4, 4.3 Hz, 1H), 3.32 (dd, *J* = 13.5, 9.3 Hz, 1H), 2.86 (dd, *J* = 13.5, 4.3 Hz, 1H), 2.75 (s, 3H), 2.43 (s, 3H), 1.83 (s, 2H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 143.51, 142.62, 134.20, 129.74, 128.66, 127.67, 127.47, 126.72, 58.87, 54.36, 36.37, 21.52;

HRMS (ESI) m/z calcd for $C_{16}H_{20}N_2O_2SNa^+$ $(M+Na)^+$ 327.1138, found 327.1127.



47 **48**

An oven dried 8 mL reaction vial was charged with a stir bar, naphthalene (256.3 mg, 2.0 mmol) was charged under air. The reaction vial was sealed, evacuated and backfilled three times with Ar. Then under Ar atmosphere, added of dry THF (2 mL, 1.0 M), then addition of Li (13.8mg, 2.0 mmol). The reaction mixture was stirred for 4h, during a dark-green solution appeared. An oven dried 25 mL Schlenk tube was charged with a stir bar, product **46** (60.8 mg, 0.2 mmol) was charged under air. The reaction vial was sealed, evacuated and backfilled three times with Ar. Then under Ar atmosphere, firstly added of dry THF (2.0 mL, 0.1 M) and then freshly prepared Li/Naphthalene solution was added in -78℃ dropwise. The solution was left stirring for 2h. The reaction was quenched with water in 0℃. In order to remove as much THF as possible, treating the remaining residue with 1N aqueous HCl until pH = 1 is reached. Extract the aqueous phase with DCM, then adjust the water phase to pH = 10 with 1N aqueous NaOH. Extract the aqueous phase with DCM (10.0 mL x 3). Concentrate the combined organic layers in vacuo afforded the product **47** as a bright yellow oil (23.4 mg, 78% yield). This product was sufficiently pure as determined by NMR without further purification.

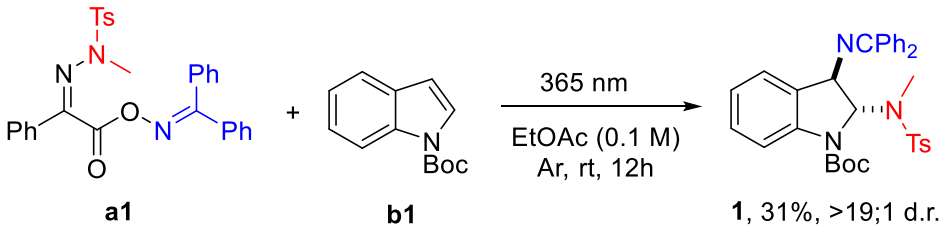
^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.35 (d, *J* = 4.3 Hz, 4H), 7.30 – 7.26 (m, 1H), 4.15 (dd, *J* = 8.2, 5.3 Hz, 1H), 2.90 – 2.80 (m, 2H), 2.52 (s, 3H), 2.36 (s, 2H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 143.87, 128.72, 127.51, 126.34, 58.95, 54.67, 35.71;

HRMS (ESI) m/z calcd for $C_9H_{14}N_2Na^+$ $(M+Na)^+$ 173.1049, found 173.1044.

4. Mechanism Study

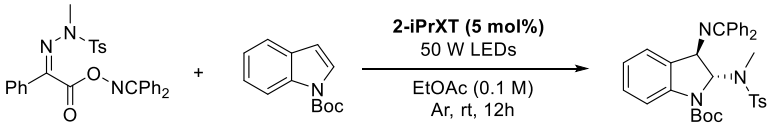
4.1 Feasibility of direct photosensitization redox pathway

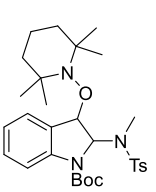


An oven dried 8 mL reaction vial was charged with a stir bar, bifunctional reagent **a1** (0.2 mmol, 1.0 equiv.), and *tert*-butyl 1H-indole-1-carboxylate (0.4 mmol, 2.0 equiv.) were charged under air. The reaction vial was sealed, evacuated and backfilled three times with Ar. Then under Ar atmosphere, added of EtOAc (2.0 mL, 0.1 M). The reaction mixture was stirred and irradiated using a 30 W 365 nm LED lamp for 8 hours until the reaction was complete. After irradiation, the resulting homogenous solution was transferred to a 25 mL round bottom flask with aid of EtOAc (2 x 3 mL). NEt_3 (approx. 0.5 mL) and SiO_2 were added to this solution and the volatiles were removed under reduced pressure, affording a powder which was loaded on column. Purification by flash column chromatography on SiO_2, pre-basified with NEt_3 using pentane: EtOAc mixtures afforded the corresponding product **1** in 31% yeild.

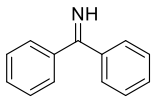
4.2 Tempo trapping experiment

An oven dried 8 mL reaction vial was charged with a stir bar, bifunctional reagent **a1** (0.2 mmol, 1.0 equiv.), tert-butyl 1H-indole-1-carboxylate (0.4 mmol, 2.0 equiv.) and TEMPO (0.4 mmol, 2.0 equiv.) were charged under air. The reaction vial was sealed, evacuated and backfilled three times with Ar. Then under Ar atmosphere, added of EtOAc (2.0 mL, 0.1 M). The reaction mixture was stirred and irradiated using a 50 W 395 nm LED lamp for 8 hours until the reaction was complete. Intermediates and products of the reaction process was detected by HRMS.

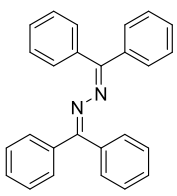




(M+Na$^+$) calc: 580.28156
found: 580.28156

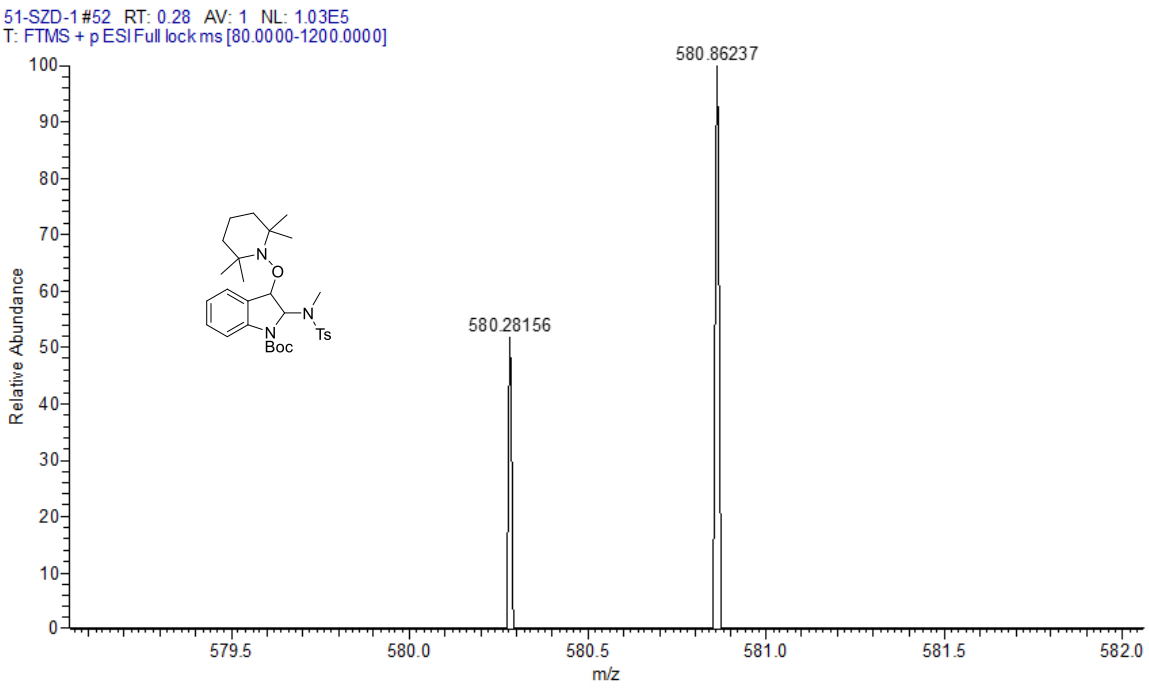


(M+Na$^+$) calc: 204.07837
found: 204.07829



(M+Na$^+$) calc: 383.15187
found: 383.15128

(M+Na$^+$) calc: 208.04027
found: 208.04033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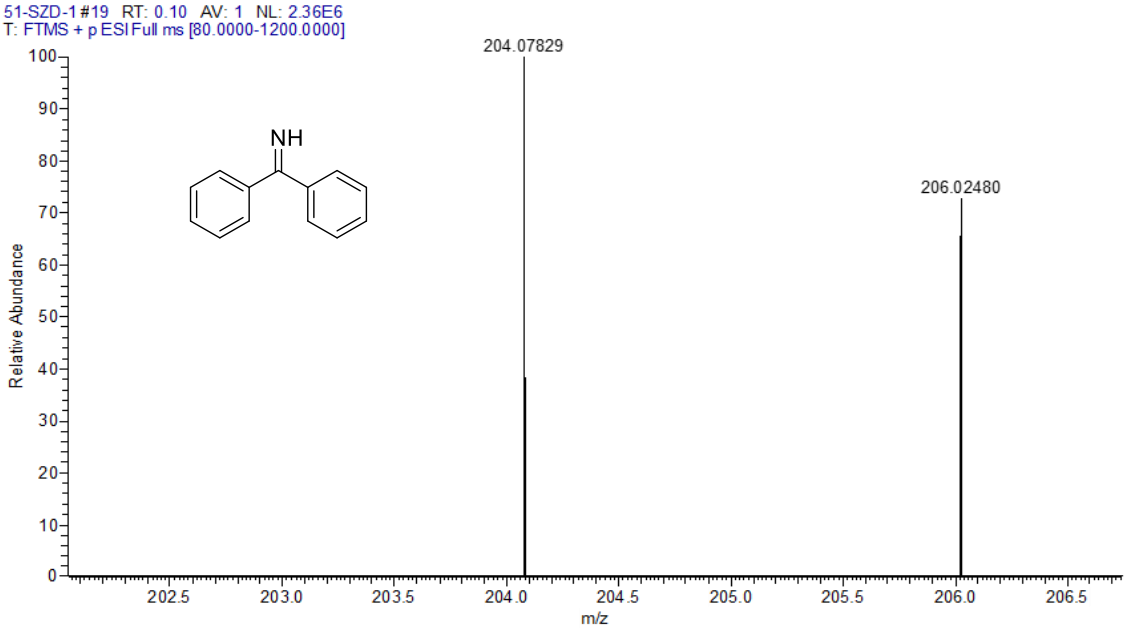
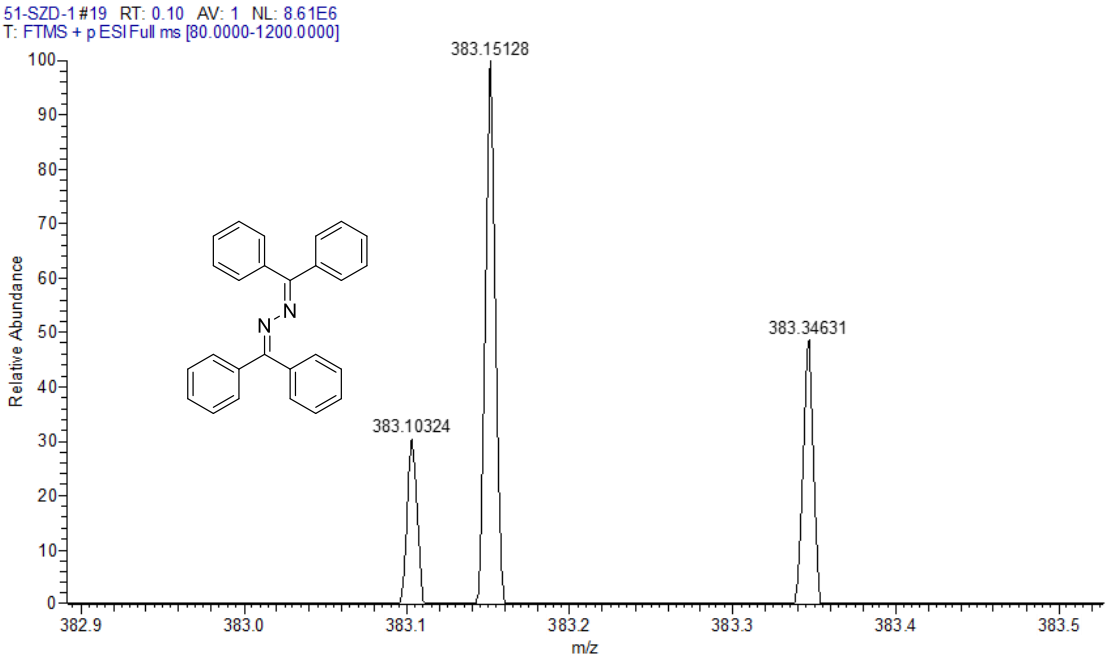
580.28156
Relative Abundance
m/z
Boc
Ts


51-SZD-1 #19 RT: 0.10 AV: 1 NL: 2.36E6
T: FTMS + p ESI Full ms [80.0000-1200.0000]
204.07829
206.02480
NH
Relative Abundance
m/z


51-SZD-1 #19 RT: 0.10 AV: 1 NL: 8.61E6
T: FTMS + p ESI Full ms [80.0000-1200.0000]
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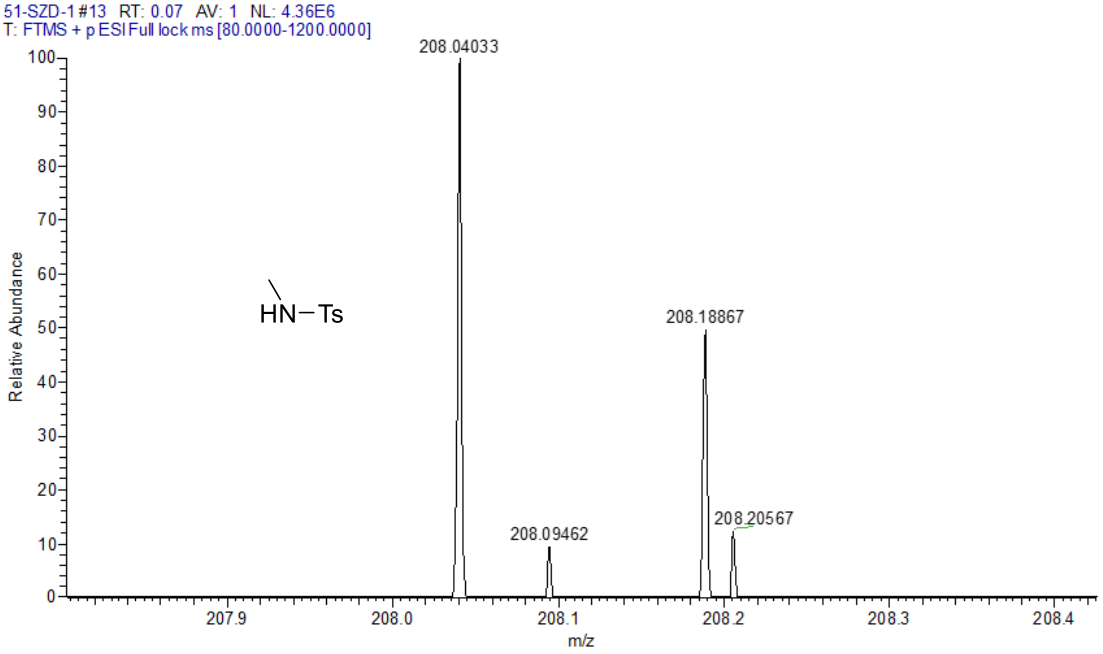
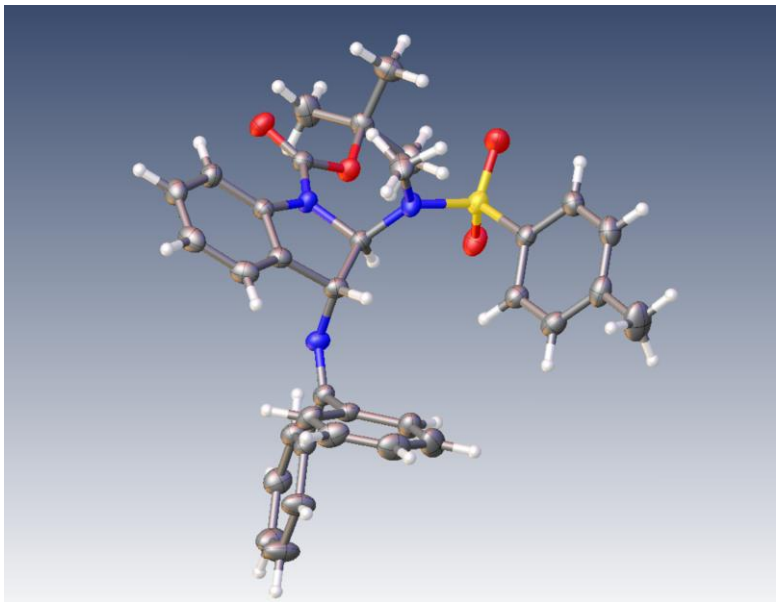
383.34631
383.10324
Relative Abundance
m/z


51-SZD-1 #13 RT: 0.07 AV: 1 NL: 4.36E6
T: FTMS + p ESI Full lock ms [80.0000-1200.0000]
208.04033
208.18867
208.09462
208.20567
HN−Ts
Relative Abundance
m/z

5. X-Ray Crystallographic Data

A single crystal of **1** suitable for X-ray crystallography was obtained by crystallization via evaporation from its ethyl acetate solution.



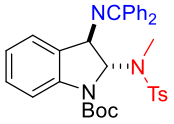
X-Ray crystallographic data of **1** (CCDC 2321785), Thermal ellipsoids are shown at the 50% level.

Identification code	mo_231204_sun_0m
Empirical formula	C34H35N3O4S
Formula weight	581.71
Temperature/K	170.00
Crystal system	monoclinic
Space group	P21/c
a/Å	14.7910(3)
b/Å	11.6230(2)
c/Å	18.6337(3)
α/°	90
β/°	109.3540(10)
γ/°	90
Volume/Å^3	3022.40(10)
Z	4
ρcalcg/cm^3	1.278
μ/mm^{-1}	0.150
F(000)	1232.0
Crystal size/mm^3	0.45 × 0.42 × 0.32
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	4.2 to 54.99
Index ranges	-19 ≤ h ≤ 19, -15 ≤ k ≤ 15, -24 ≤ l ≤ 23
Reflections collected	40006
Independent reflections	6949 [Rint = 0.0325, Rsigma = 0.0219]
Data/restraints/parameters	6949/0/384
Goodness-of-fit on F^2	1.053
Final R indexes [I>=2σ (I)]	R1 = 0.0390, wR2 = 0.0935
Final R indexes [all data]	R1 = 0.0471, wR2 = 0.0999
Largest diff. peak/hole / e Å^{-3}	0.25/-0.46

6. Reference

1. Y. Pan, Z. Liu, P. Zou, Y. Chen and Y. Chen, *Org. Lett.*, 2022, **24**, 6681.
2. Y. Yi, Z. Fan and C. Xi, *Green Chem.*, 2022, **24**, 7894.
3. P. Pal, G. K. Goud, B. Sridhar, P. S. Mainkar, K. Nayani and S. Chandrasekh, *Tetrahedron Letters*., 2023, **121**, 154478.
4. Y. Shen, N. Lei, C. Lu, D. Xi, X. Geng, P. Tao, Z. Su and K. Zheng, *Chem. Sci*., 2021, **12**, 15399.
5. W. Wang, L. Zhao, H. Wu, Y. He and G. Wu, *Org. Lett*., 2023, **25**, 707.
6. D. Chen, Z. Fan, L. Huang, K. Gao, P. Xiao, C. Ni and J. Hu, *Chem. Commun*., 2021, **57**, 319.
7. N. Kvasovs, J Fang, F Kliuev and V Gevorgyan, *J. Am. Chem. Soc*. 2023, **145**, 18497.

7. Characterization Data



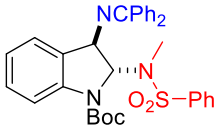
*tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenylmethylene) amino) indoline-1-carboxylate (**1**)*

Compound **1** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (81.3 mg, 70%)

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 (d, *J* = 8.2 Hz, 2H), 7.64 (d, *J* = 7.7 Hz, 2H), 7.58 – 7.51 (m, 3H), 7.41 – 7.21 (m, 9H), 6.99 – 6.90 (m, 2H), 6.39 (s, 1H), 5.00 (s, 1H), 2.42 (s, 3H), 2.40 (s, 3H), 1.60 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.31, 152.17, 143.16, 142.84, 139.41, 137.08, 136.18, 130.44, 130.41, 129.37, 129.24, 128.99, 128.84, 128.80, 128.42, 128.03, 127.84, 124.49, 123.00, 115.31, 82.57, 78.70, 68.16, 29.93, 28.34, 21.52;

HRMS (ESI) m/z calcd for $C_{34}H_{35}N_3O_4SNa^+$ $(M+Na)^+$ 604.2240, found 604.2242.



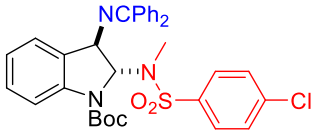
*tert-butyl (2S,3R)-3-((diphenylmethylene)amino)-2-(N-methylphenyl sulfonamido)indoline-1-carboxylate（**2**）*

Compound **2** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (67.0 mg, 59%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 7.8 Hz, 2H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.62 (d, *J* = 7.3 Hz, 2H), 7.58 – 7.47 (m, 4H), 7.46 – 7.25 (m, 8H), 6.95 (d, *J* = 4.4 Hz, 2H), 6.38 (s, 1H), 4.99 (s, 1H), 2.40 (s, 3H), 1.57 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.41, 152.14, 142.80, 140.02, 139.38, 136.17, 132.44, 129.28, 129.02, 128.87, 128.82, 128.77, 128.41, 128.05, 127.78, 124.53, 123.05, 115.32, 82.62, 78.72, 68.22, 29.91, 28.35**;**

HRMS (ESI) m/z calcd for $C_{33}H_{33}N_3O_4SNa^+$ $(M+Na)^+$ 590.2084, found 590.2084.



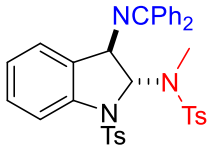
tert-butyl (2S,3R)-2-((4-chloro-N methylphenyl)sulfonamido)-3-((diphenyl methylene)amino)indoline-1-carboxylate（3）

Compound **3** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (87.0 mg, 72%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.71 – 7.52 (m, 6H), 7.44 – 7.27 (m, 8H), 6.99 (d, *J* = 4.4 Hz, 2H), 6.34 (d, *J* = 1.5 Hz, 1H), 5.00 (d, *J* = 1.5 Hz, 1H), 2.44 (s, 3H), 1.59 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.58, 152.06, 142.60, 139.32, 138.87, 138.44, 136.15, 130.49, 129.37, 129.31, 129.02, 128.93, 128.90, 128.40, 128.08, 124.62, 122.79, 115.32, 82.70, 78.83, 68.28, 30.04, 28.31;

HRMS (ESI) m/z calcd for $C_{33}H_{32}ClN_3O_4SNa^+$$(M+Na)^+$624.1694, found 624.1678.



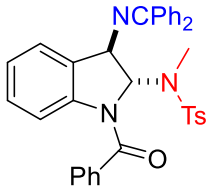
*N-((2R,3R)-3-((diphenylmethylene)amino)-1-tosylindolin-2-yl)-N,4-dimethyl benzenesulfonamide (**4**)*

Compound **4** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (59.7 mg, 47%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 8.1 Hz, 2H), 7.84 (d, *J* = 8.1 Hz, 2H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.49 (dq, *J* = 14.4, 7.2 Hz, 3H), 7.37 (h, *J* = 4.3 Hz, 1H), 7.29 (d, *J* = 8.1 Hz, 2H), 7.23 (d, *J* = 14.0 Hz, 5H), 6.98 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* = 7.5 Hz, 1H), 6.27 (s, 1H), 4.89 (s, 1H), 2.46 (s, 3H), 2.43 (s, 3H), 2.40 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.25, 144.15, 143.52, 141.72, 138.90, 136.37, 135.68, 134.65, 131.29, 130.44, 129.69, 129.46, 128.97, 128.87, 128.85, 128.24, 128.21, 128.06, 127.79, 124.97, 124.65, 116.01, 80.86, 68.52, 28.95, 21.83, 21.59;

HRMS (ESI) m/z calcd for $C_{36}H_{33}N_3O_4S_2Na^+$ $(M+Na)^+$ 658.1805, found 658.1806.



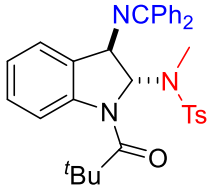
*N-((2S,3R)-1-benzoyl-3-((diphenylmethylene)amino)indolin-2-yl)-N,4-dimethyl benzenesulfonamide (**5**)*

Compound **5** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (76.0 mg, 65%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 7.8 Hz, 2H), 7.73 (d, *J* = 8.2 Hz, 1H), 7.61 (d, *J* = 7.3 Hz, 2H), 7.56 – 7.47 (m, 4H), 7.39 (dt, *J* = 14.5, 7.4 Hz, 3H), 7.30 (dd, *J* = 13.7, 6.7 Hz, 4H), 7.24 (d, *J* = 5.7 Hz, 1H), 6.94 (d, *J* = 4.4 Hz, 2H), 6.37 (s, 1H), 4.98 (s, 1H), 2.39 (s, 3H), 1.56 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.41, 152.14, 142.80, 140.02, 139.38, 136.17, 132.44, 130.44, 129.28, 129.02, 128.87, 128.82, 128.77, 128.41, 128.05, 127.78, 124.53, 123.05, 115.32, 82.62, 78.72, 68.22, 29.91, 28.35;

HRMS (ESI) m/z calcd for $C_{36}H_{31}N_3O_3SNa^+$ $(M+Na)^+$ 608.1978, found 608.1979.



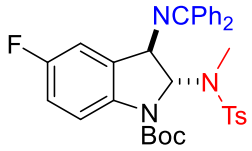
*N-((2S,3R)-3-((diphenylmethylene)amino)-1-pivaloylindolin-2-yl)-N,4-dimethyl benzenesulfonamide (**6**)*

Compound **6** was prepared following the general procedure as a white solid (66.7 mg, 59%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.10 (d, *J* = 8.1 Hz, 1H), 7.65 – 7.56 (m, 7H), 7.48 – 7.42 (m, 2H), 7.41 – 7.34 (m, 1H), 7.33 – 7.20 (m, 5H), 7.01 (t, *J* = 7.4 Hz, 1H), 6.91 (dd, *J* = 7.5, 1.3 Hz, 1H), 6.66 (s, 1H), 5.09 (s, 1H), 2.44 (s, 3H), 2.23 (s, 3H), 1.55 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.51, 167.46, 145.72, 143.87, 139.30, 136.19, 135.82, 131.20, 130.37, 129.64, 129.20, 128.82, 128.79, 128.64, 127.99, 127.85, 124.48, 124.31, 119.11, 78.51, 68.68, 41.10, 29.80, 28.43, 21.57;

HRMS (ESI) m/z calcd for $C_{34}H_{35}N_3O_3SNa^+$ $(M+Na)^+$ 588.2921, found 588.2921.



*(tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenyl methylene)amino)-5-fluoroindoline-1-carboxylate (**7**)*

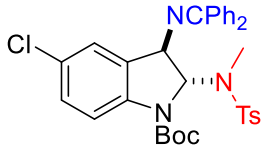
Compound **7** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (49.1 mg, 41%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (d, *J* = 7.9 Hz, 3H), 7.62 (d, *J* = 7.1 Hz, 2H), 7.58 – 7.49 (m, 3H), 7.41 (d, *J* = 7.4 Hz, 1H), 7.33 (d, *J* = 15.1 Hz, 2H), 7.26 (d, *J* = 3.9 Hz, 2H), 7.22 (d, *J* = 8.0 Hz, 2H), 6.94 (td, *J* = 8.9, 2.7 Hz, 1H), 6.63 (dd, *J* = 7.9, 2.6 Hz, 1H), 6.34 (d, *J* = 1.6 Hz, 1H), 4.96 (s, 1H), 2.42 (s, 3H), 2.40 (s, 3H), 1.57 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.02, 160.48, 157.70, 152.10, 143.29, 139.20, 138.99, 136.98, 135.96, 132.08, 132.01, 129.43, 129.01, 128.97, 128.28, 128.11, 127.80, 116.20, 116.12, 115.48, 115.12, 111.79, 111.55, 82.73, 79.35, 68.03, 30.33, 28.32, 21.52.

^{19}F NMR (377 MHz, $CDCl_3$) δ -120.27.

HRMS (ESI) m/z calcd for $C_{34}H_{34}FN_3O_4SNa^+$ $(M+Na)^+$ 622.2146, found 622.2144.



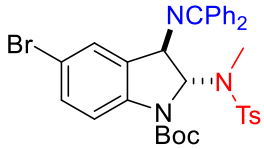
*tert-butyl (2S,3R)-5-chloro-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenylmethylene) amino)indoline-1-carboxylate (**8**)*

Compound **8** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (70.2 mg, 57%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 8.0 Hz, 3H), 7.64 – 7.58 (m, 2H), 7.58 – 7.47 (m, 3H), 7.43 – 7.36 (m, 1H), 7.32 (dd, *J* = 8.3, 6.7 Hz, 2H), 7.23 (dd, *J* = 17.9, 7.3 Hz, 4H), 6.94 (td, *J* = 8.9, 2.7 Hz, 1H), 6.62 (dd, *J* = 7.8, 2.7 Hz, 1H), 6.33 (d, *J* = 1.6 Hz, 1H), 4.95 (d, *J* = 1.6 Hz, 1H), 2.41 (s, 3H), 2.39 (s, 3H), 1.56 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.00, 160.07, 157.66, 152.07, 143.27, 139.16, 138.94, 136.92, 135.16, 132.04, 131.96, 130.58, 129.40, 128.98, 128.93, 128.25, 128.08, 127.76, 116.17, 116.09, 115.68, 115.45, 111.75, 111.51, 82.70, 79.30, 67.98, 31.33, 28.28, 21.49;

HRMS (ESI) m/z calcd for $C_{34}H_{34}ClN_3O_4SNa^+$ $(M+Na)^+$ 638.1851, found 638.1852.



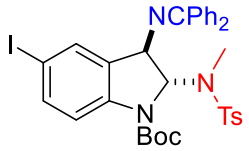
*tert-butyl (2S,3R)-5-bromo-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenylmethylene) amino)indoline-1-carboxylate (**9**)*

Compound **9** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (79.1 mg, 60%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.77 – 7.49 (m, 8H), 7.46 – 7.31 (m, 4H), 7.31 – 7.27 (m, 2H), 7.23 (d, *J* = 8.0 Hz, 2H), 7.02 (d, *J* = 2.1 Hz, 1H), 6.55 – 6.31 (m, 1H), 5.33 – 4.93 (m, 1H), 2.42 (d, *J* = 2.0 Hz, 6H), 1.59 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.09, 151.91, 143.32, 142.03, 139.16, 136.91, 135.91, 132.64, 132.06, 130.65, 129.43, 129.03, 128.98, 128.30, 128.12, 127.79, 127.55, 117.20, 115.28, 83.01, 78.28, 67.77, 29.89, 28.18, 21.52.;

HRMS (ESI) m/z calcd for $C_{34}H_{34}BrN_3O_4SNa^+$ $(M+Na)^+$ 682.1346, found 682.1345.



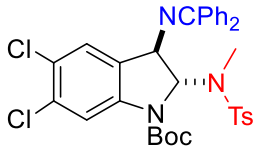
*tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenyl methylene)amino)-5-iodoindoline-1-carboxylate (**10**)*

Compound **10** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (77.8 mg, 55%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.45 (d, *J* = 1.9 Hz, 1H), 7.35 – 7.30 (m, 1H), 7.17 (dt, *J* = 5.1, 1.3 Hz, 1H), 5.31 (dd, *J* = 37.0, 8.8 Hz, 1H), 4.81 – 4.72 (m, 1H), 1.86 (s, 1H), 1.76 – 1.68 (m, 1H), 1.63 – 1.52 (m, 1H), 1.39 – 1.25 (m, 8H), 0.93 – 0.88 (m, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.09, 151.91, 143.32, 142.03, 139.16, 136.91, 135.91, 132.64, 132.06, 130.65, 129.43, 129.03, 128.98, 128.30, 128.12, 127.79, 127.55, 117.20, 115.28, 83.01, 78.28, 67.77, 29.89, 28.18, 21.52;

HRMS (ESI) m/z calcd for $C_{34}H_{34}IN_3O_4SNa^+$ $(M+Na)^+$ 730.1207, found 730.1207.



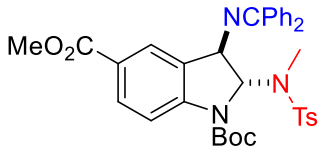
*tert-butyl (2S,3R)-5,6-dichloro-2-((N,4dimethylphenyl)sulfonamido) -3-((diphenylmethylene)amino)indoline-1-carboxylate (**11**)*

Compound **11** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (68.8 mg, 53%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (s, 1H), 7.68 (s, 2H), 7.62 (d, *J* = 8.7 Hz, 2H), 7.60 – 7.53 (m, 3H), 7.47 – 7.40 (m, 1H), 7.36 (s, 2H), 7.25 (dd, *J* = 9.9, 7.8 Hz, 4H), 6.95 (s, 1H), 6.31 (d, *J* = 1.6 Hz, 1H), 4.96 (d, *J* = 1.6 Hz, 1H), 2.45 (s, 3H), 2.43 (s, 3H), 1.58 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.39, 151.65, 143.46, 142.25, 139.03, 136.77, 135.78, 133.00, 130.77, 130.65, 129.50, 129.09, 129.04, 129.02, 128.21, 128.16, 127.74, 126.05, 125.88, 117.09, 83.49, 79.60, 67.47, 30.62, 28.22, 21.53;

HRMS (ESI) m/z calcd for $C_{34}H_{33}Cl_2N_3O_4SNa^+$ $(M+Na)^+$ 672.1461, found 672.1463.



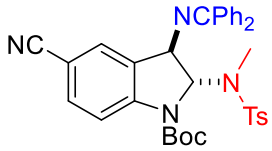
*tert-butyl(2S,3R)-5-acetoxy-2-((N,4-dimethylphenyl) sulfonamido)-3-((diphenylmethylene)amino)indoline-1-carboxylate (**12**)*

Compound **12** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (80.5 mg, 63%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.98 (dd, *J* = 8.6, 1.8 Hz, 1H), 7.81 (d, *J* = 8.6 Hz, 1H), 7.69 – 7.50 (m, 8H), 7.42 – 7.28 (m, 5H), 7.20 (d, *J* = 8.1 Hz, 2H), 6.37 (s, 1H), 4.98 (s, 1H), 3.86 (s, 3H), 2.40 (s, 3H), 2.37 (s, 3H), 1.58 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.11, 166.63, 151.76, 146.79, 143.35, 139.23, 136.87, 135.95, 131.64, 130.71, 130.60, 129.46, 129.00, 128.96, 128.36, 128.10, 127.78, 126.17, 124.80, 114.62, 83.40, 79.31, 67.46, 52.01, 29.72, 28.26, 21.53;

HRMS (ESI) m/z calcd for $C_{36}H_{37}N_3O_6SNa^+$ $(M+Na)^+$ 662.2295, found 662.2295.



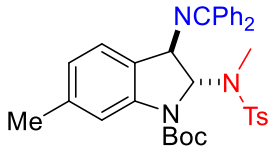
*tert-butyl (2S,3R)-5-cyano-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenylmethylene)amino)indoline-1-carboxylate (**13**)*

Compound **13** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (74.0 mg, 61%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.05 (s, 1H), 7.66 (d, *J* = 8.3 Hz, 2H), 7.62 – 7.51 (m, 5H), 7.45 – 7.38 (m, 1H), 7.33 (t, *J* = 7.5 Hz, 2H), 7.26 – 7.19 (m, 5H), 7.00 (d, *J* = 7.7 Hz, 1H), 6.26 (d, *J* = 1.8 Hz, 1H), 5.07 (d, *J* = 1.7 Hz, 1H), 2.47 (s, 3H), 2.40 (s, 3H), 1.55 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.78, 151.69, 143.53, 143.28, 138.99, 136.73, 135.76, 135.62, 130.84, 129.53, 129.16, 129.05, 129.03, 128.19, 127.71, 127.19, 125.22, 118.95, 118.37, 112.85, 83.72, 79.62, 68.06, 31.16, 28.22, 21.53;

HRMS (ESI) m/z calcd for $C_{35}H_{34}N_4O_4SNa^+$ $(M+Na)^+$ 629.2193, found 629.2189.



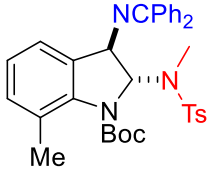
*tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenyl methylene)amino)-6-methylindoline-1-carboxylate (**14**)*

Compound **14** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (85.7 mg, 72%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 8.0 Hz, 2H), 7.64 (s, 1H), 7.61 – 7.55 (m, 2H), 7.54 – 7.45 (m, 3H), 7.40 – 7.33 (m, 1H), 7.29 (dd, *J* = 15.4, 8.4 Hz, 3H), 7.24 – 7.15 (m, 3H), 6.82 – 6.71 (m, 2H), 6.36 (s, 1H), 4.90 (s, 1H), 2.40 (s, 3H), 2.35 (s, 3H), 2.33 (s, 3H), 1.59 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.90, 152.28, 143.18, 143.05, 137.13, 136.23, 130.35, 129.42, 128.97, 128.81, 128.74, 128.43, 128.00, 127.78, 127.58, 124.08, 123.77, 116.06, 82.56, 78.86, 67.91, 29.78, 28.35, 21.89, 21.53;

HRMS (ESI) m/z calcd for $C_{35}H_{37}N_3O_4SNa^+$ $(M+Na)^+$ 618.2397, found 618.2395.



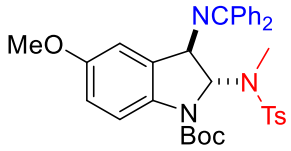
*tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenylmethylene) amino)-7-methylindoline-1-carboxylate (**15**)*

Compound **15** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (84.5 mg, 71%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 – 7.78 (m, 2H), 7.65 – 7.49 (m, 5H), 7.40 – 7.32 (m, 3H), 7.29 – 7.23 (m, 4H), 7.08 – 7.01 (m, 1H), 6.95 (t, *J* = 7.5 Hz, 1H), 6.74 (dd, *J* = 7.5, 1.3 Hz, 1H), 6.48 (s, 1H), 4.72 (s, 1H), 2.43 (s, 3H), 2.22 (s, 3H), 2.17 (s, 3H), 1.59 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 166.91, 154.02, 143.21, 142.87, 139.21, 136.65, 136.16, 133.96, 131.36, 130.28, 129.33, 128.87, 128.78, 128.76, 128.34, 127.87, 127.71, 125.41, 121.51, 82.09, 81.48, 69.59, 30.35, 28.27, 21.55, 19.46;

HRMS (ESI) m/z calcd for $C_{35}H_{37}N_3O_4SNa^+$ $(M+Na)^+$ 618.2397, found 618.2397.



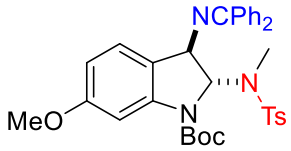
*tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenylmethylene)amino)-5-methoxyindoline-1-carboxylate (**16**)*

Compound **16** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (66.0 mg, 54%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.61 (dt, *J* = 7.1, 1.4 Hz, 3H), 7.55 – 7.48 (m, 3H), 7.41 – 7.27 (m, 5H), 7.21 (d, *J* = 8.1 Hz, 2H), 6.78 (dd, *J* = 8.8, 2.7 Hz, 1H), 6.47 (d, *J* = 2.6 Hz, 1H), 6.33 (d, *J* = 1.5 Hz, 1H), 4.94 (s, 1H), 3.71 (s, 3H), 2.39 (d, *J* = 4.0 Hz, 6H), 1.56 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.46, 155.80, 152.26, 143.16, 139.35, 137.08, 136.59, 136.14, 131.74, 130.45, 129.37, 129.00, 128.85, 128.38, 128.04, 127.82, 115.90, 113.80, 110.77, 82.29, 79.05, 67.77, 55.65, 30.04, 28.36, 21.52;

HRMS (ESI) m/z calcd for $C_{35}H_{37}N_3O_5SNa^+$ $(M+Na)^+$ 634.2346, found 634.2340.



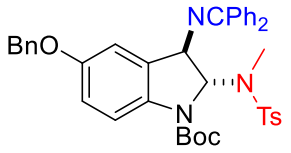
*tert-butyl (2S,3R)-2-((N,4-dimethylphenyl)sulfonamido)-3-((diphenyl methylene)amino)-6-methoxyindoline-1-carboxylate (**17**)*

Compound **17** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (78.3 mg, 64%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.61 (dt, *J* = 7.1, 1.4 Hz, 3H), 7.58 – 7.46 (m, 3H), 7.43 – 7.36 (m, 1H), 7.30 (dd, *J* = 14.8, 7.7 Hz, 4H), 7.21 (d, *J* = 8.1 Hz, 2H), 6.78 (dd, *J* = 8.8, 2.7 Hz, 1H), 6.47 (d, *J* = 2.6 Hz, 1H), 6.33 (d, *J* = 1.5 Hz, 1H), 4.94 (d, *J* = 1.5 Hz, 1H), 3.71 (s, 3H), 2.39 (s, 3H), 2.38 (s, 3H), 1.56 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.44, 155.78, 152.23, 143.14, 139.32, 137.06, 136.56, 136.12, 131.72, 130.43, 129.35, 128.98, 128.83, 128.36, 128.02, 127.80, 115.88, 113.78, 110.75, 82.26, 79.02, 68.25, 55.62, 30.01, 28.33, 21.49.

HRMS (ESI) m/z calcd for $C_{35}H_{37}N_3O_5SNa^+$ $(M+Na)^+$ 634.2346, found 634.2345.



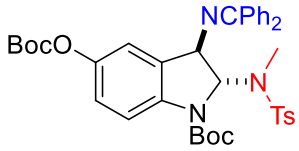
*tert-butyl (2S,3R)-5-(benzyloxy)-2-((N,4-dimethylphenyl) sulfonamido)-3-((diphenylmethylene)amino)indoline-1-carboxylate (**18**)*

Compound **18** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (85.2 mg, 62%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 8.0 Hz, 2H), 7.63 – 7.52 (m, 8H), 7.40 – 7.29 (m, 4H), 7.22 (d, *J* = 8.1 Hz, 2H), 6.89 (d, *J* = 8.2 Hz, 1H), 6.28 (s, 1H), 5.06 (s, 1H), 2.42 (s, 4H), 2.38 (s, 3H), 1.56 (s, 9H), 1.39 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.61, 151.80, 151.09, 147.60, 144.38, 143.10, 139.63, 136.67, 136.17, 130.33, 130.31, 129.24, 129.19, 128.85, 128.73, 128.64, 128.03, 127.95, 122.81, 116.38, 112.65, 83.68, 82.83, 78.50, 66.56, 30.28, 28.80, 27.62, 21.50;

HRMS (ESI) m/z calcd for $C_{41}H_{41}N_3O_5SNa^+$ $(M+Na)^+$ 710.2659, found 710.2658.



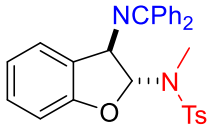
*tert-butyl(2S,3R)-5-((tert-butoxycarbonyl)oxy)-2-((N,4- dimethylphenyl) sulfonamido)-3-indoli-ne-1-((diphenylmethylene)amino)carboxylate **(19)***

Compound **19** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (96.3 mg, 69%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 8.0 Hz, 2H), 7.63 – 7.52 (m, 8H), 7.41 – 7.28 (m, 5H), 7.22 (d, *J* = 8.1 Hz, 2H), 6.89 (d, *J* = 8.2 Hz, 1H), 6.28 (s, 1H), 5.06 (s, 1H), 2.42 (s, 4H), 2.38 (s, 3H), 1.56 (s, 9H), 1.39 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.61, 151.80, 151.09, 147.60, 144.38, 143.10, 139.63, 136.67, 136.17, 130.33, 130.31, 129.24, 129.19, 128.85, 128.73, 128.64, 128.03, 127.95, 122.81, 116.38, 112.65, 83.68, 82.83, 78.50, 66.56, 30.28, 28.80, 27.62, 21.50;

HRMS (ESI) m/z calcd for $C_{14}H_{25}FNaO^+$ $(M+Na)^+$ 720.2714, found 720.2713.



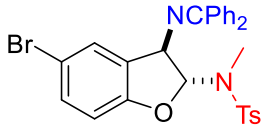
*N-((2R,3R)-3-((diphenylmethylene)amino)-2,3-dihydrobenzofuran-2-yl)-N,4-dimethylbenzenesulfonamide **(20)***

Compound **20** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (77.1 mg, 80%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 (d, *J* = 8.3 Hz, 2H), 7.66 (dd, *J* = 7.1, 1.6 Hz, 2H), 7.53 – 7.30 (m, 7H), 7.25 – 7.20 (m, 3H), 7.14 (td, *J* = 7.8, 1.4 Hz, 1H), 7.00 (d, *J* = 7.0 Hz, 1H), 6.92 – 6.82 (m, 1H), 6.71 (d, *J* = 8.1 Hz, 1H), 6.58 (d, *J* = 5.5 Hz, 1H), 5.18 (d, *J* = 5.5 Hz, 1H), 2.42 (s, 3H), 2.40 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 171.27, 158.52, 143.70, 139.00, 136.20, 135.74, 130.68, 129.63, 129.58, 129.05, 128.83, 128.79, 128.14, 128.11, 127.89, 127.43, 124.69, 121.22, 109.88, 96.60, 66.94, 28.66, 21.60;

HRMS (ESI) m/z calcd for $C_{29}H_{26}N_2O_3SNa^+$ $(M+Na)^+$ 720.2714, found 720.2713.



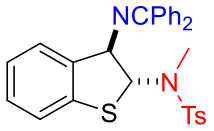
*N-((2R,3R)-5-bromo-3-((diphenylmethylene)amino)-2,3-dihydro benzofuran-2-yl)-N,4-dimethylbenzenesulfonamide **(21)***

Compound **21** was prepared following the general procedure as a white solid in >95:5 diastereomeric ratio (59.4mg, 53%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 (d, *J* = 8.3 Hz, 2H), 7.66 (dd, *J* = 7.1, 1.6 Hz, 2H), 7.53 – 7.30 (m, 7H), 7.25 – 7.20 (m, 3H), 7.14 (td, *J* = 7.8, 1.4 Hz, 1H), 7.00 (d, *J* = 7.0 Hz, 1H), 6.92 – 6.82 (m, 1H), 6.71 (d, *J* = 8.1 Hz, 1H), 6.58 (d, *J* = 5.5 Hz, 1H), 5.18 (d, *J* = 5.5 Hz, 1H), 2.42 (s, 3H), 2.40 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 171.27, 158.52, 143.70, 139.00, 136.20, 135.74, 130.68, 129.63, 129.58, 129.05, 128.83, 128.79, 128.14, 128.11, 127.89, 127.43, 124.69, 121.22, 109.88, 96.60, 66.94, 28.66, 21.60;

HRMS (ESI) m/z calcd for $C_{29}H_{25}BrN_2O_3SNa^+$ $(M+Na)^+$ 583.0661, found 583.0658.



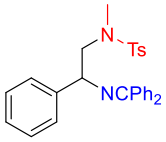
*N-((2R,3R)-3-((diphenylmethylene)amino)-2,3-dihydrobenzo[b]thiophen-2-yl)-N,4-dimethylbenzenesulfonamide (**22**)*

Compound **22** was prepared following the general procedure as a pale yellow solid in 81:19 diastereomeric ratio (30.9 mg, 31%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.67 (dd, *J* = 8.1, 3.6 Hz, 4H), 7.51 – 7.40 (m, 4H), 7.35 (t, *J* = 7.5 Hz, 2H), 7.24 (q, *J* = 2.8 Hz, 4H), 7.18 – 7.00 (m, 3H), 6.89 (dd, *J* = 26.8, 7.6 Hz, 1H), 6.26 (dd, *J* = 100.3, 6.2 Hz, 1H), 5.28 (dd, *J* = 54.1, 6.2 Hz, 1H), 2.45 (s, 3H), 2.40 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 170.51, 144.66, 139.21, 139.11, 138.14, 136.06, 134.69, 130.89, 130.64, 129.84, 129.63, 129.17, 128.88, 128.81, 128.73, 128.65, 128.12, 127.71, 127.54, 127.40, 124.97, 124.82, 122.35, 74.12, 72.41, 29.25, 21.64;

HRMS (ESI) m/z calcd for $C_{29}H_{26}N_2O_2S_2Na^+$ $(M+Na)^+$ 521.1328, found 521.1329.



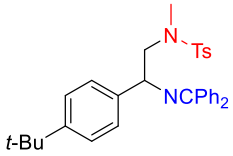
*N-(2-((diphenylmethylene)amino)-2-phenylethyl)-N,4-dimethylbenzenesulfonamide (**23**)*

Compound **23** was prepared following the general procedure as a white solid (56.2 mg, 60%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.72 (d, *J* = 7.0 Hz, 2H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.47 – 7.30 (m, 7H), 7.30 – 7.17 (m, 6H), 7.12 – 7.04 (m, 2H), 4.70 (dd, *J* = 8.8, 4.3 Hz, 1H), 3.60 – 3.47 (m, 1H), 3.29 (dd, *J* = 13.7, 4.3 Hz, 1H), 2.60 (s, 3H), 2.39 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.02, 144.00, 142.42, 139.72, 136.52, 135.65, 130.15, 129.60, 128.74, 128.53, 128.49, 128.40, 128.07, 127.97, 127.41, 127.36, 127.33, 67.78, 58.15, 39.09, 21.51;

HRMS (ESI) m/z calcd for $C_{29}H_{28}N_2O_2SNa^+$ $(M+Na)^+$ 491.1764, found 491.1766.



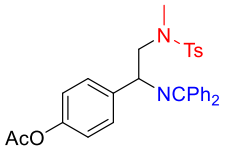
*N-(2-(4-(tert-butyl)phenyl)-2-((diphenylmethylene)amino)ethyl)-N,4-dimethylbenzenesulfonamide (**24**)*

Compound **24** was prepared following the general procedure as a colorless oil (77.6 mg, 74%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 – 7.69 (m, 2H), 7.59 (d, *J* = 4.8 Hz, 2H), 7.45 (dd, *J* = 4.9, 1.9 Hz, 3H), 7.40 – 7.29 (m, 5H), 7.23 (dd, *J* = 11.7, 8.2 Hz, 4H), 7.15 – 7.09 (m, 2H), 4.70 (dd, *J* = 9.0, 4.0 Hz, 1H), 3.56 (dd, *J* = 13.7, 9.0 Hz, 1H), 3.27 (dd, *J* = 13.7, 4.0 Hz, 1H), 2.60 (s, 3H), 2.39 (s, 3H), 1.31 (s, 9H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.31, 150.19, 143.03, 139.84, 138.73, 136.57, 135.21, 130.05, 129.58, 128.75, 128.44, 128.36, 128.11, 128.03, 127.35, 127.02, 125.40, 67.24, 58.05, 36.56, 34.51, 31.40, 21.50;

HRMS (ESI) m/z calcd for $C_{33}H_{36}N_2O_2SNa^+$ $(M+Na)^+$ 547.2390, found 547.2390.



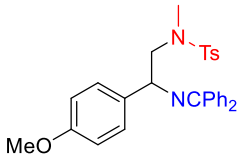
*4-(2-((N,4-dimethylphenyl)sulfonamido)-1-((diphenylmethylene)amino)ethyl) phenyl acetate (**25**)*

Compound **25** was prepared following the general procedure as a colorless oil (49.5 mg, 47%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.73 – 7.66 (m, 2H), 7.57 (d, *J* = 8.3 Hz, 2H), 7.44 (dd, *J* = 4.3, 2.1 Hz, 3H), 7.41 – 7.27 (m, 5H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.14 – 7.04 (m, 2H), 7.02 – 6.96 (m, 2H), 4.71 (dd, *J* = 8.8, 4.2 Hz, 1H), 3.49 (dd, *J* = 13.7, 8.8 Hz, 1H), 3.26 (dd, *J* = 13.7, 4.2 Hz, 1H), 2.59 (s, 3H), 2.38 (s, 3H), 2.29 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.57, 168.88, 149.79, 143.62, 139.61, 139.40, 136.92, 135.46, 130.81, 129.63, 128.73, 128.54, 128.44, 128.37, 128.08, 127.94, 127.31, 122.12, 65.67, 58.18, 36.70, 21.50, 21.18;

HRMS (ESI) m/z calcd for $C_{31}H_{30}N_2O_4SNa^+$ $(M+Na)^+$ 549.1818, found 549.1814.



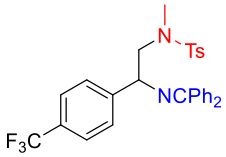
*N-(2-((diphenylmethylene)amino)-2-(4-methoxyphenyl)ethyl)-N,4-dimethyl benzenesulfonamide (**26**)*

Compound **26** was prepared following the general procedure as a colorless oil (65.8 mg, 66%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (d, *J* = 7.5 Hz, 2H), 7.58 (d, *J* = 7.9 Hz, 2H), 7.46 – 7.31 (m, 6H), 7.24 – 7.17 (m, 4H), 7.12 – 7.00 (m, 2H), 6.83 (d, *J* = 8.1 Hz, 2H), 4.65 (dd, *J* = 8.7, 4.4 Hz, 1H), 3.78 (s, 3H), 3.52 (dd, *J* = 13.6, 8.6 Hz, 1H), 3.26 (dd, *J* = 13.7, 4.4 Hz, 1H), 2.60 (s, 3H), 2.39 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.34, 158.80, 143.05, 139.76, 136.57, 135.19, 134.55, 130.10, 129.59, 128.71, 128.46, 128.41, 128.39, 128.05, 127.96, 127.32, 113.88, 65.54, 58.14, 55.26, 36.59, 21.51;

HRMS (ESI) m/z calcd for $C_{30}H_{30}N_2O_3SNa^+$ $(M+Na)^+$ 521.1869, found 521.1871.



*N-(2-((diphenylmethylene)amino)-2-(4-(trifluoromethyl)phenyl)ethyl)-N,4-dimethylbenzenesulfonamide (**27**)*

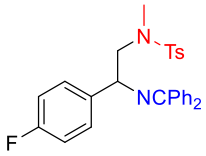
Compound **27** was prepared following the general procedure as a yellow oil (55.8 mg, 52%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 – 7.67 (m, 2H), 7.54 (d, *J* = 8.3 Hz, 4H), 7.46 – 7.31 (m, 8H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.11 – 7.01 (m, 2H), 4.77 (d, *J* = 13.0 Hz, 1H), 3.48 (dd, *J* = 13.8, 8.5 Hz, 1H), 3.32 (dd, *J* = 13.8, 4.6 Hz, 1H), 2.61 (s, 3H), 2.38 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.54, 145.85, 143.25, 139.36, 136.26, 134.98, 130.42, 129.64, 129.39, 128.74, 128.68, 128.53, 128.13, 127.76, 127.27, 125.45, 125.41, 125.38, 65.90, 57.89, 36.70, 21.47;

^{19}F NMR (377 MHz, $CDCl_3$) δ -62.39.

HRMS (ESI) m/z calcd for $C_{30}H_{27}F_3N_2O_2SNa^+$ $(M+Na)^+$ 559.1638, found 559.1639.



*N-(2-((diphenylmethylene)amino)-2-(4-fluorophenyl)ethyl)-N,4-dimethyl benzenesulfonamide (**28**)*

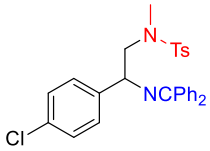
Compound **28** was prepared following the general procedure as a colorless oil (79.7 mg, 82%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.73 – 7.65 (m, 2H), 7.59 – 7.53 (m, 2H), 7.44 (dp, *J* = 5.3, 1.8 Hz, 3H), 7.41 – 7.31 (m, 3H), 7.26 – 7.19 (m, 4H), 7.06 (d, *J* = 8.0 Hz, 2H), 7.00 – 6.92 (m, 2H), 4.67 (dd, *J* = 8.5, 4.6 Hz, 1H), 3.53 – 3.39 (m, 1H), 3.27 (dd, *J* = 13.7, 4.6 Hz, 1H), 2.59 (s, 3H), 2.39 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.85, 163.23, 160.79, 143.13, 139.53, 137.59, 136.40, 134.65, 130.25, 129.60, 128.91, 128.83, 128.69, 128.55, 128.44, 128.08, 127.81, 127.28, 115.42, 115.21, 65.91, 54.43, 34.51, 21.89;

^{19}F NMR (377 MHz, $CDCl_3$) δ -115.15;

HRMS (ESI) m/z calcd for $C_{29}H_{27}FN_2O_2SNa^+$ $(M+Na)^+$ 509.1669, found 509.1663.



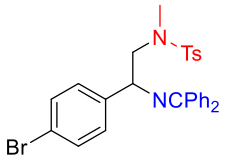
*N-(2-(4-chlorophenyl)-2-((diphenylmethylene)amino)ethyl)-N,4-dimethyl benzenesulfonamide (**29**)*

Compound **29** was prepared following the general procedure as a colorless oil (70.3 mg, 70%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 7.0 Hz, 2H), 7.56 (d, *J* = 8.0 Hz, 2H), 7.47 – 7.29 (m, 6H), 7.23 (td, *J* = 9.4, 9.0, 6.1 Hz, 6H), 7.07 (s, 2H), 4.67 (dd, *J* = 8.4, 4.6 Hz, 1H), 3.46 (dd, *J* = 13.7, 8.4 Hz, 1H), 3.27 (dd, *J* = 13.8, 4.6 Hz, 1H), 2.59 (s, 3H), 2.38 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.52, 143.20, 140.39, 139.50, 136.37, 135.09, 133.04, 130.34, 129.64, 128.76, 128.73, 128.68, 128.62, 128.50, 128.12, 127.81, 127.30, 65.57, 58.37, 36.67, 20.99;

HRMS (ESI) m/z calcd for $C_{29}H_{27}ClN_2O_2SNa^+$ $(M+Na)^+$ 525.1374, found 525.1363.



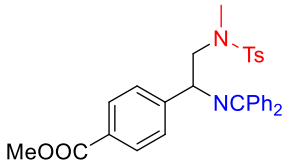
*N-(2-(4-bromophenyl)-2-((diphenylmethylene)amino)ethyl)-N,4-dimethyl benzenesulfonamide (**30**)*

Compound **29** was prepared following the general procedure as a colorless oil (68.8 mg, 63%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.72 – 7.64 (m, 2H), 7.60 – 7.51 (m, 2H), 7.48 – 7.30 (m, 8H), 7.19 (dd, *J* = 20.8, 8.2 Hz, 4H), 7.05 (dd, *J* = 6.6, 2.9 Hz, 2H), 4.65 (dd, *J* = 8.4, 4.6 Hz, 1H), 3.46 (dd, *J* = 13.8, 8.4 Hz, 1H), 3.27 (dd, *J* = 13.7, 4.6 Hz, 1H), 2.59 (s, 3H), 2.38 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.14, 143.16, 140.87, 139.44, 136.32, 135.04, 131.59, 130.30, 129.60, 129.09, 128.70, 128.59, 128.46, 128.09, 127.77, 127.26, 121.15, 65.60, 57.89, 36.64, 21.00;

HRMS (ESI) m/z calcd for $C_{29}H_{27}BrN_2O_2SNa^+$ $(M+Na)^+$ 569.0869, found 569.0866.



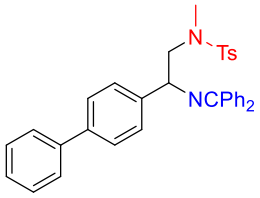
*methyl 4-(2-((N,4-dimethylphenyl)sulfonamido)-1-((diphenylmethylene) amino)ethyl)benzoate (**31**)*

Compound **31** was prepared following the general procedure as a colorless oil (74.7 mg, 71%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.95 (d, *J* = 8.1 Hz, 2H), 7.71 (d, *J* = 7.2 Hz, 2H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.47 – 7.30 (m, 8H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.05 (dt, *J* = 7.2, 3.5 Hz, 2H), 4.75 (dd, *J* = 8.4, 4.6 Hz, 1H), 3.90 (s, 3H), 3.50 (d, *J* = 8.4 Hz, 1H), 3.31 (dd, *J* = 13.8, 4.6 Hz, 1H), 2.60 (s, 3H), 2.38 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.44, 166.97, 147.10, 143.21, 139.46, 136.33, 135.09, 130.38, 129.85, 129.64, 129.22, 128.76, 128.65, 128.51, 128.14, 127.80, 127.44, 127.29, 66.09, 57.93, 52.11, 36.71, 21.50;

HRMS (ESI) m/z calcd for $C_{31}H_{30}N_2O_4SNa^+$ $(M+Na)^+$ 549.1818, found 549.1813.



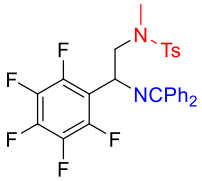
*N-(2-([1,1'-biphenyl]-4-yl)-2-((diphenylmethylene)amino)ethyl)-N,4-dimethylbenzenesulfonamide (**32**)*

Compound **32** was prepared following the general procedure as a white solid (58.8 mg, 54%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.73 (d, *J* = 6.7 Hz, 2H), 7.57 (t, *J* = 8.0 Hz, 4H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.48 – 7.29 (m, 11H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.11 (dd, *J* = 6.5, 2.8 Hz, 2H), 4.75 (dd, *J* = 8.7, 4.2 Hz, 1H), 3.57 (dd, *J* = 13.7, 8.7 Hz, 1H), 3.34 (dd, *J* = 13.7, 4.3 Hz, 1H), 2.62 (s, 3H), 2.36 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.79, 143.11, 140.98, 140.86, 140.26, 139.75, 136.54, 135.23, 130.21, 129.63, 128.80, 128.55, 128.47, 128.11, 128.01, 127.84, 127.35, 127.29, 127.26, 127.07, 65.97, 58.13, 36.67, 21.52;

HRMS (ESI) m/z calcd for $C_{35}H_{32}N_2O_2SNa^+$ $(M+Na)^+$ 567.2077, found 567.2078.



*N-(2-((diphenylmethylene)amino)-2-(perfluorophenyl)ethyl)-N,4-dimethyl benzenesulfonamide (**33**)*

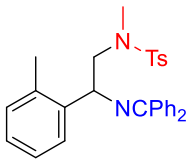
Compound **33** was prepared following the general procedure as a colorless oil (65.9 mg, 59%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.68 – 7.62 (m, 2H), 7.60 – 7.55 (m, 2H), 7.50 – 7.44 (m, 3H), 7.43 – 7.36 (m, 1H), 7.32 (t, *J* = 7.5 Hz, 2H), 7.28 – 7.23 (m, 2H), 7.09 – 7.01 (m, 2H), 5.17 (t, *J* = 7.1 Hz, 1H), 3.82 (dd, *J* = 13.7, 7.6 Hz, 1H), 3.26 (dd, *J* = 13.7, 6.7 Hz, 1H), 2.62 (s, 3H), 2.40 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 172.68, 148.37, 144.42, 143.51, 138.91, 138.86, 135.87, 134.73, 130.85, 129.68, 128.95, 128.79, 128.76, 128.66, 128.62, 128.54, 128.20, 128.12, 127.30, 127.21, 126.88, 126.72, 56.37, 53.82, 36.75, 21.49;

^{19}F NMR (377 MHz, $CDCl_3$) δ -140.19, -155.50, -162.09;

HRMS (ESI) m/z calcd for $C_{29}H_{23}F_5N_2O_2SNa^+$ $(M+Na)^+$ 581.1293, found 581.1296.



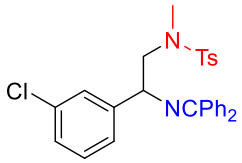
*N-(2-((diphenylmethylene)amino)-2-(o-tolyl)ethyl)-N,4-dimethyl benzenesulfonamide (**34**)*

Compound **34** was prepared following the general procedure as a colorless oil (57.9 mg, 60%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.76 – 7.54 (m, 5H), 7.45 – 7.29 (m, 6H), 7.25 – 7.19 (m, 2H), 7.13 (dtd, *J* = 20.0, 7.4, 1.6 Hz, 2H), 7.02 (ddd, *J* = 14.9, 7.3, 2.4 Hz, 3H), 4.95 (dd, *J* = 9.0, 3.5 Hz, 1H), 3.44 (dd, *J* = 13.9, 9.0 Hz, 1H), 3.26 (dd, *J* = 13.9, 3.6 Hz, 1H), 2.71 (s, 3H), 2.37 (s, 3H), 1.92 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.77, 143.09, 140.69, 139.66, 137.04, 135.17, 135.00, 130.26, 130.14, 129.63, 128.67, 128.48, 128.38, 128.09, 127.74, 127.30, 126.90, 126.23, 62.88, 58.33, 36.49, 21.95, 18.99;

HRMS (ESI) m/z calcd for $C_{30}H_{30}N_2O_2SNa^+$ $(M+Na)^+$ 505.1920, found 505.1910.



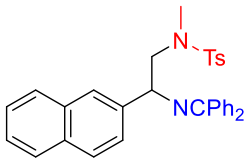
*N-(2-(3-chlorophenyl)-2-((diphenylmethylene)amino)ethyl)-N,4-dimethyl benzenesulfonamide (**35**)*

Compound **35** was prepared following the general procedure as a colorless oil (78.3 mg, 78%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 – 7.67 (m, 2H), 7.56 (d, *J* = 6.5 Hz, 2H), 7.48 – 7.42 (m, 3H), 7.41 – 7.32 (m, 3H), 7.26 (d, *J* = 1.5 Hz, 1H), 7.23 – 7.13 (m, 5H), 7.10 – 7.03 (m, 2H), 4.67 (dd, *J* = 8.5, 4.5 Hz, 1H), 3.49 (dd, *J* = 13.8, 8.5 Hz, 1H), 3.27 (dd, *J* = 13.8, 4.5 Hz, 1H), 2.59 (s, 3H), 2.38 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.31, 143.91, 143.20, 139.47, 136.34, 135.17, 134.32, 130.36, 129.81, 129.66, 128.79, 128.64, 128.52, 128.12, 127.86, 127.57, 127.49, 127.29, 125.63, 65.71, 58.03, 36.69, 21.50;

HRMS (ESI) m/z calcd for $C_{29}H_{27}ClN_2O_2SNa^+$ $(M+Na)^+$ 525.1374, found 525.1380.



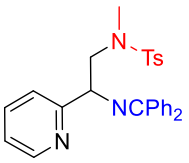
*N-(2-((diphenylmethylene)amino)-2-(naphthalen-2-yl)ethyl)-N,4-dimethyl benzenesulfonamide (**36**)*

Compound **36** was prepared following the general procedure as a colorless oil (58.0 mg, 56%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.83 – 7.71 (m, 5H), 7.63 (s, 1H), 7.56 – 7.33 (m, 11H), 7.18 (d, *J* = 7.9 Hz, 2H), 7.12 – 7.05 (m, 2H), 4.85 (d, *J* = 4.1 Hz, 1H), 3.62 (dd, *J* = 13.8, 8.6 Hz, 1H), 3.39 (dd, *J* = 13.8, 4.5 Hz, 1H), 2.62 (s, 3H), 2.37 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.92, 143.67, 139.72, 139.41, 136.54, 135.18, 133.80, 132.85, 130.22, 129.59, 128.78, 128.55, 128.45, 128.20, 128.10, 127.96, 127.92, 127.67, 127.31, 126.09, 126.01, 125.77, 125.52, 66.39, 57.78, 36.15, 21.50;

HRMS (ESI) m/z calcd for $C_{33}H_{30}N_2O_2SNa^+$ $(M+Na)^+$ 541.1920, found 541.1930.



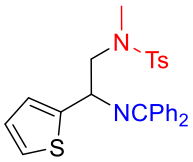
*N-(2-((diphenylmethylene)amino)-2-(pyridin-2-yl)ethyl)-N,4-dimethyl benzenesulfonamide (**37**)*

Compound **37** was prepared following the general procedure as a yellow oil (45.0 mg, 48%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.50 (d, *J* = 4.7 Hz, 1H), 7.75 (d, *J* = 7.5 Hz, 2H), 7.64 (t, *J* = 7.7 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 2H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.46 – 7.29 (m, 6H), 7.20 (d, *J* = 7.9 Hz, 2H), 7.17 – 7.08 (m, 3H), 4.89 (dd, *J* = 9.0, 3.8 Hz, 1H), 3.76 (dd, *J* = 13.4, 8.9 Hz, 1H), 3.38 (dd, *J* = 13.4, 3.8 Hz, 1H), 2.53 (s, 3H), 2.37 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 170.90, 160.24, 152.63, 145.25, 140.63, 136.69, 136.36, 135.13, 130.24, 129.55, 128.97, 128.53, 128.47, 128.08, 128.04, 127.34, 123.05, 122.34, 67.99, 58.16, 36.01, 19.95;

HRMS (ESI) m/z calcd for $C_{28}H_{27}N_3O_2SNa^+$ $(M+Na)^+$ 492.1716, found 492.1710.



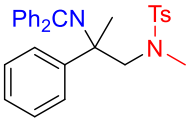
*N-(2-((diphenylmethylene)amino)-2-(thiophen-2-yl)ethyl)-N,4-dimethyl benzenesulfonamide (**38**)*

Compound **38** was prepared following the general procedure as a yellow oil (48.4 mg, 51%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, *J* = 7.0 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.46 – 7.31 (m, 7H), 7.22 (t, *J* = 6.9 Hz, 2H), 7.16 (dd, *J* = 6.8, 2.7 Hz, 2H), 6.92 (dd, *J* = 5.1, 3.5 Hz, 1H), 6.78 (d, *J* = 3.5 Hz, 1H), 5.02 (dd, *J* = 8.5, 4.6 Hz, 1H), 3.50 (dd, *J* = 13.8, 8.4 Hz, 1H), 3.35 (dd, *J* = 13.8, 4.6 Hz, 1H), 2.61 (s, 3H), 2.39 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.36, 144.82, 143.18, 139.42, 136.01, 135.13, 130.36, 129.64, 128.86, 128.67, 128.51, 128.10, 127.93, 127.31, 126.50, 124.51, 123.57, 62.32, 58.42, 36.88, 21.51;

HRMS (ESI) m/z calcd for $C_{27}H_{26}N2O_2S_2Na+$ $(M+Na)+$ 497.1328, found 492.1719.



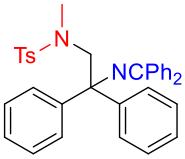
*N-(2-((diphenylmethylene)amino)-2-phenylpropyl)-N,4-dimethyl benzenesulfonamide (**39**)*

Compound **39** was prepared following the general procedure as a colorless oil (56.9 mg, 59%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.64 (d, *J* = 8.0 Hz, 2H), 7.55 (d, *J* = 7.1 Hz, 2H), 7.38 – 7.25 (m, 6H), 7.21 – 7.12 (m, 6H), 7.07 (t, *J* = 7.6 Hz, 2H), 6.53 (d, *J* = 7.4 Hz, 2H), 3.65 (d, *J* = 13.4 Hz, 1H), 3.44 (d, *J* = 13.4 Hz, 1H), 2.71 (s, 3H), 2.41 (s, 3H), 1.46 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.35, 147.56, 143.11, 141.22, 138.59, 134.89, 129.93, 129.63, 128.18, 128.12, 128.00, 127.85, 127.57, 127.51, 127.32, 126.78, 126.68, 65.42, 64.96, 37.69, 25.19, 21.58;

HRMS (ESI) m/z calcd for $C_{30}H_{30}N_2O_2SNa^+$ $(M+Na)+$ 505.1920, found 505.1920.



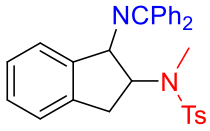
*N-(2-((diphenylmethylene)amino)-2,2-diphenylethyl)-N,4-dimethyl benzenesulfonamide (**40**)*

Compound **40** was prepared following the general procedure as a white solid (78.4 mg, 72%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.64 (dd, *J* = 7.1, 1.8 Hz, 2H), 7.42 – 7.26 (m, 5H), 7.25 – 7.02 (m, 13H), 6.93 (t, *J* = 7.6 Hz, 2H), 6.53 (d, *J* = 6.9 Hz, 2H), 4.20 (s, 2H), 2.44 (s, 3H), 2.39 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.04, 146.82, 143.03, 141.73, 138.53, 135.61, 130.11, 129.39, 128.57, 128.49, 128.02, 127.80, 127.73, 127.30, 127.21, 126.70, 126.32, 70.43, 58.09, 37.30, 21.01;

HRMS (ESI) m/z calcd for $C_{35}H_{32}N_2O_2SNa^+$ (M+Na)+ 567.2077, found 567.2063.



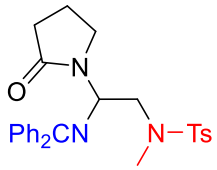
*N-(1-((diphenylmethylene)amino)-2,3-dihydro-1H-inden-2-yl)-N,4-dimethyl benzenesulfonamide (**41**)*

Compound **41** was prepared following the general procedure as a colorless oil in >95:5 diastereomeric ratio (55.7 mg, 58%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 7.9 Hz, 2H), 7.66 – 7.60 (m, 2H), 7.44 – 7.33 (m, 6H), 7.23 – 7.12 (m, 3H), 7.06 – 7.00 (m, 1H), 7.01 – 6.87 (m, 4H), 5.27 – 5.03 (m, 1H), 4.90 (d, *J* = 8.3 Hz, 1H), 2.93 (dd, *J* = 15.7, 8.4 Hz, 1H), 2.82 (dd, *J* = 15.5, 10.1 Hz, 1H), 2.46 (s, 3H), 2.24 (s, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 167.01, 142.91, 141.30, 139.32, 139.16, 137.10, 136.56, 130.31, 129.50, 128.94, 128.53, 128.48, 128.02, 127.82, 127.78, 127.31, 127.09, 125.29, 124.18, 66.65, 64.50, 31.46, 28.70, 21.43.

HRMS (ESI) m/z calcd for $C_{35}H_{32}N_2O_2SNa^+$ (M+Na)$^+$ 503.1764, found 503.1760.



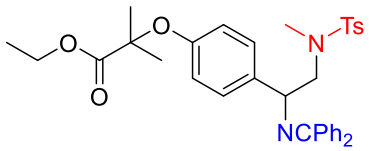
*N-(2-((diphenylmethylene)amino)-2-(2-oxopyrrolidin-1-yl)ethyl)-N,4-dimethyl benzenesulfonamide (**42**)*

Compound **42** was prepared following the general procedure as a colorless oil (52.3 mg, 55%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.62 (dd, *J* = 13.5, 7.9 Hz, 4H), 7.43 (p, *J* = 7.0, 6.4 Hz, 4H), 7.33 (t, *J* = 7.5 Hz, 2H), 7.28 (d, *J* = 7.5 Hz, 2H), 7.17 (dd, *J* = 7.0, 1.9 Hz, 2H), 5.74 (dd, *J* = 9.0, 4.8 Hz, 1H), 3.94 – 3.80 (m, 2H), 3.68 (dd, *J* = 13.2, 9.0 Hz, 1H), 2.50 (dd, *J* = 13.2, 5.0 Hz, 1H), 2.46 – 2.22 (m, 8H), 2.05 (ddt, *J* = 21.1, 13.5, 6.4 Hz, 2H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 174.08, 171.03, 145.00, 139.71, 136.43, 134.04, 130.85, 129.69, 128.96, 128.81, 128.66, 128.15, 127.63, 127.33, 61.64, 47.85, 41.29, 35.03, 30.92, 22.50, 18.38;

HRMS (ESI) m/z calcd for $C_{27}H_{29}N_3O_3SNa^+$ (M+Na)$^+$ 498.1822, found 498.1823.



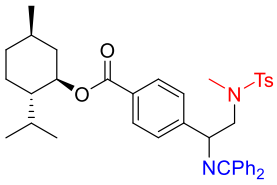
ethyl 2-(4-(2-((N,4-dimethylphenyl)sulfonamido)-1-((diphenylmethylene)amino)ethyl)phenoxy)-2-methylpropanoate (***43***)

Compound **43** was prepared following the general procedure as a colorless oil (79.0 mg, 66%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.69 (dd, *J* = 7.5, 2.4 Hz, 2H), 7.57 (d, *J* = 8.1 Hz, 2H), 7.45 – 7.28 (m, 6H), 7.17 (dd, *J* = 28.0, 8.4 Hz, 4H), 7.10 – 7.01 (m, 2H), 6.76 (d, *J* = 8.6 Hz, 2H), 4.63 (dd, *J* = 8.6, 4.4 Hz, 1H), 4.22 (q, *J* = 7.1 Hz, 2H), 3.52 (dd, *J* = 13.7, 8.7 Hz, 1H), 3.23 (dd, *J* = 13.7, 4.4 Hz, 1H), 2.57 (s, 3H), 2.37 (s, 3H), 1.57 (s, 6H), 1.24 (t, *J* = 7.1 Hz, 3H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 174.28, 168.45, 154.63, 143.07, 139.74, 136.54, 135.54, 135.27, 130.14, 129.61, 128.72, 128.47, 128.38, 128.09, 128.07, 127.95, 127.31, 119.18, 79.10, 65.49, 61.42, 58.10, 36.57, 25.44, 25.39, 21.50, 14.12;

HRMS (ESI) m/z calcd for $C_{35}H_{38}N_2O_5SNa^+$ $(M+Na)^+$ 621.2394, found 621.2387.



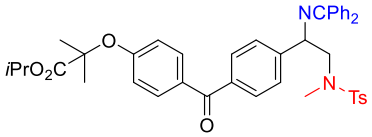
*(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl 4-(2-((N,4-dimethylphenyl) sulfonamido)-1-((diphenylmethylene)amino)ethyl)benzoate (**44**)*

Compound **44** was prepared following the general procedure as a colorless oil in 1:1 diastereomeric ratio (80.6 mg, 62%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.98 (d, *J* = 8.0 Hz, 2H), 7.71 (d, *J* = 7.6 Hz, 2H), 7.57 (d, *J* = 8.0 Hz, 2H), 7.52 – 7.29 (m, 9H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.11 – 6.97 (m, 2H), 4.93 (td, *J* = 10.9, 4.4 Hz, 1H), 4.77 (dt, *J* = 7.6, 3.4 Hz, 1H), 3.52 (dd, *J* = 13.9, 8.3 Hz, 1H), 3.33 (dd, *J* = 13.8, 4.5 Hz, 1H), 2.62 (s, 3H), 2.39 (s, 3H), 2.13 (dt, *J* = 8.1, 4.3 Hz, 1H), 1.96 (qq, *J* = 6.7, 3.4, 2.9 Hz, 1H), 1.75 (s, 1H), 1.72 (s, 1H), 1.64 – 1.48 (m, 3H), 1.19 – 1.08 (m, 3H), 1.08 – 1.03 (m, 1H), 0.93 (d, *J* = 4.5 Hz, 7H), 0.80 (dd, *J* = 6.9, 3.4 Hz, 4H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.35, 165.96, 146.88, 143.20, 139.48, 136.35, 135.09, 130.35, 129.93, 129.83, 129.63, 128.75, 128.65, 128.51, 128.12, 127.82, 127.39, 127.31, 74.81, 66.12, 57.92, 47.29, 41.00, 36.71, 34.34, 31.47, 26.51, 23.65, 22.08, 21.50, 20.80, 16.55;

HRMS (ESI) m/z calcd for $C_{40}H_{46}N_2O_4SNa^+$ $(M+Na)^+$ 673.3070, found 673.3068.



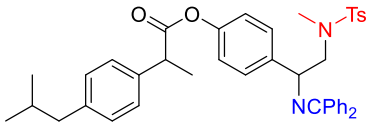
*isopropyl 2-(4-(4-(2-((N,4-dimethylphenyl)sulfonamido)-1-((diphenylmethylene)amino)ethyl)benzoyl)phenoxy)-2-methylpropanoate (**45**)*

Compound **45** was prepared following the general procedure as a colorless oil (86.0 mg, 60%);

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 – 7.67 (m, 6H), 7.58 (d, *J* = 8.3 Hz, 2H), 7.47 – 7.31 (m, 8H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.13 – 7.03 (m, 2H), 6.86 (d, *J* = 8.9 Hz, 2H), 5.08 (p, *J* = 6.3 Hz, 1H), 4.78 (dd, *J* = 8.5, 4.4 Hz, 1H), 3.54 (dd, *J* = 13.7, 8.5 Hz, 1H), 3.34 (dd, *J* = 13.8, 4.5 Hz, 1H), 2.62 (s, 3H), 2.38 (s, 3H), 1.66 (s, 6H), 1.20 (d, *J* = 6.3 Hz, 6H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 195.20, 173.18, 169.37, 159.56, 146.09, 143.22, 139.50, 137.21, 136.35, 135.08, 132.04, 130.60, 130.37, 130.10, 129.65, 128.77, 128.66, 128.52, 128.13, 127.85, 127.30, 127.27, 117.16, 79.39, 69.33, 66.08, 57.94, 36.70, 25.38, 21.55, 21.50;

HRMS (ESI) m/z calcd for $C_{43}H_{44}N_2O_6SNa^+$ $(M+Na)^+$ 739.2812, found 739.2815.



*4-(2-((N,4-dimethylphenyl)sulfonamido)-1-((diphenylmethylene) amino)ethyl)phenyl 2-(4-isobutylphenyl) propanoate (**46**)*

Compound **46** was prepared following the general procedure as a colorless oil (74.0 mg, 55%);

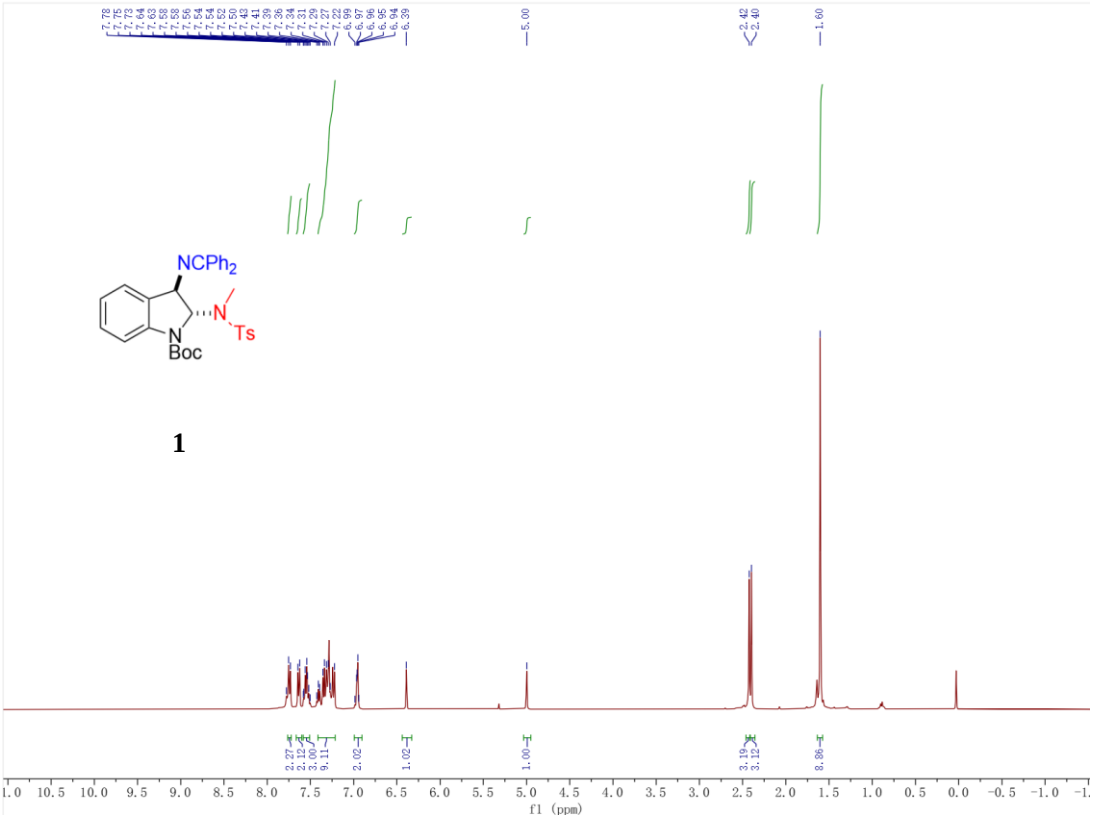
^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.68 (d, *J* = 7.0 Hz, 2H), 7.56 (d, *J* = 8.0 Hz, 2H), 7.45 – 7.39 (m, 3H), 7.37 – 7.18 (m, 9H), 7.13 (d, *J* = 7.8 Hz, 2H), 7.09 – 7.02 (m, 2H), 6.91 (d, *J* = 8.1 Hz, 2H), 4.67 (dd, *J* = 8.7, 4.2 Hz, 1H), 3.92 (q, *J* = 7.1 Hz, 1H), 3.47 (dd, *J* = 13.8, 8.7 Hz, 1H), 3.23 (dd, *J* = 13.8, 4.3 Hz, 1H), 2.58 (s, 3H), 2.46 (d, *J* = 7.3 Hz, 2H), 2.37 (s, 3H), 1.86 (dt, *J* = 13.5, 6.7 Hz, 1H), 1.59 (d, *J* = 7.2 Hz, 3H), 0.90 (d, *J* = 6.6 Hz, 6H);

^{13}C NMR (101 MHz, $CDCl_3$) δ 173.31, 168.86, 150.01, 143.13, 140.85, 139.62, 139.36, 139.35, 137.25, 137.22, 136.43, 135.07, 130.22, 129.63, 129.54, 128.72, 128.54, 128.44, 128.26, 128.08, 127.90, 127.31, 127.23, 121.42, 65.70, 58.19, 45.27, 45.07, 36.69, 30.23, 22.43, 21.50, 18.53;

HRMS (ESI) m/z calcd for $C_{42}H_{44}N_2O_4S\ Na^+$ $(M+Na)^+$ 695.2914, found 695.2910.

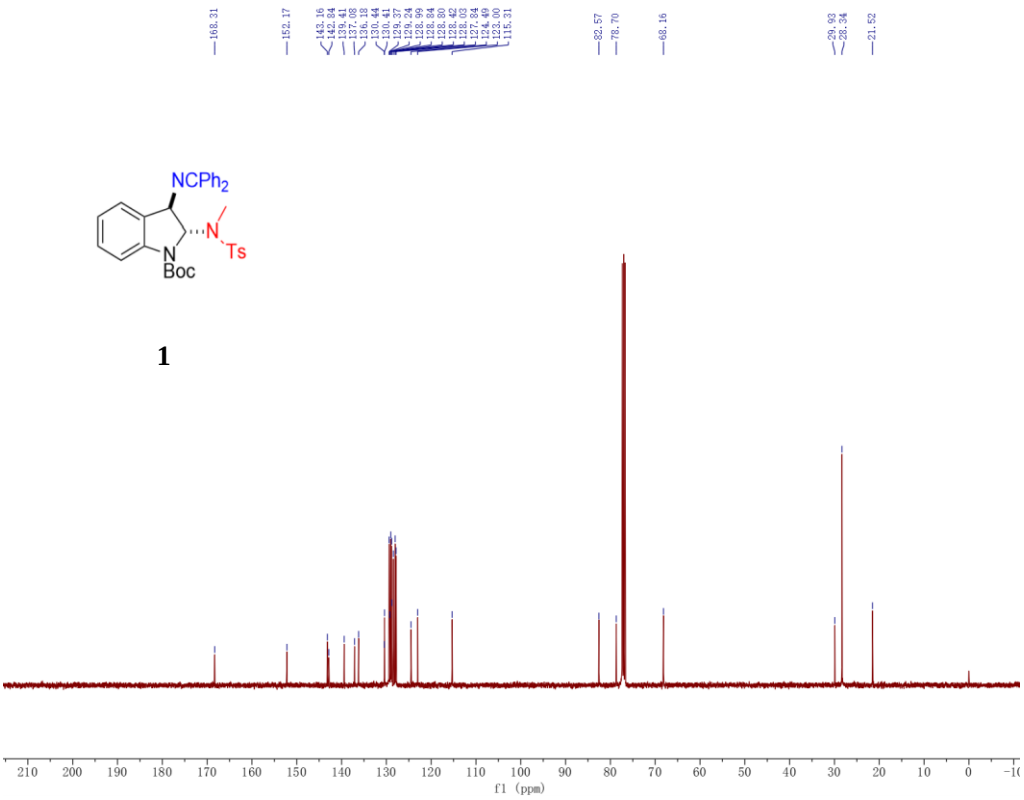
8. NMR Spectrum

^{1}H NMR (400 MHz, $CDCl_3$)



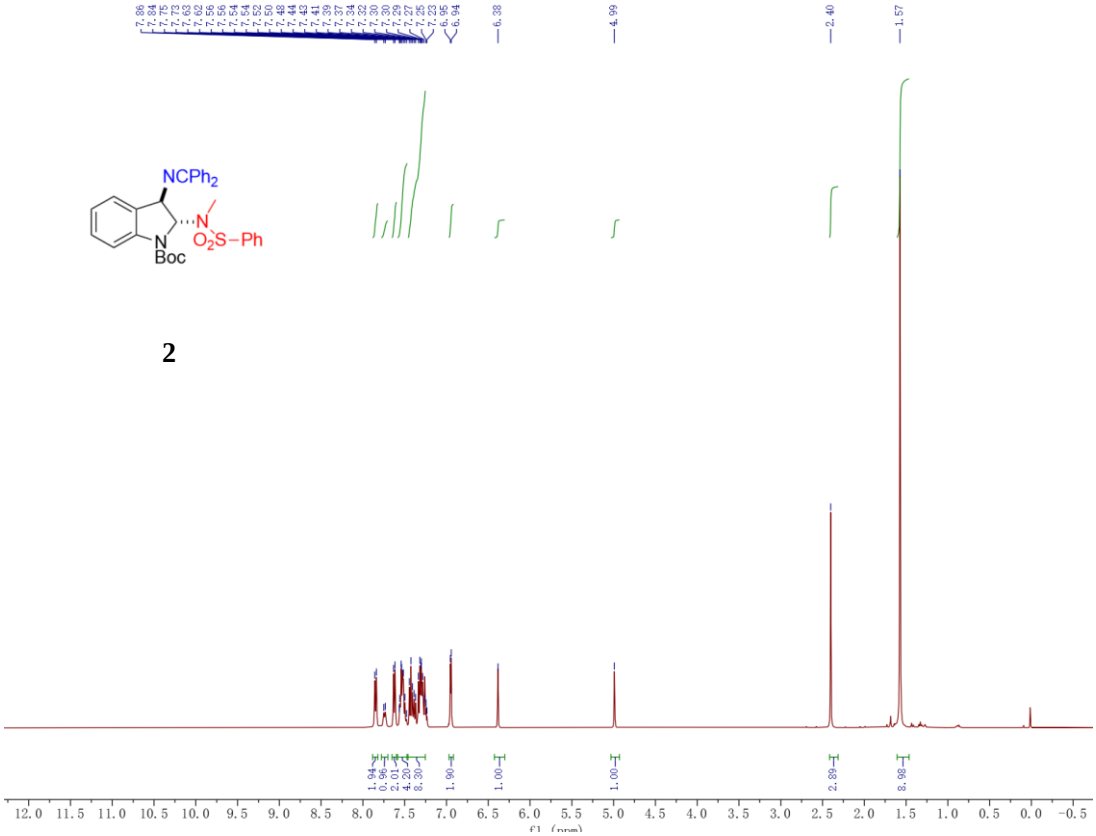
1

^{13}C NMR (101 MHz, $CDCl_3$)



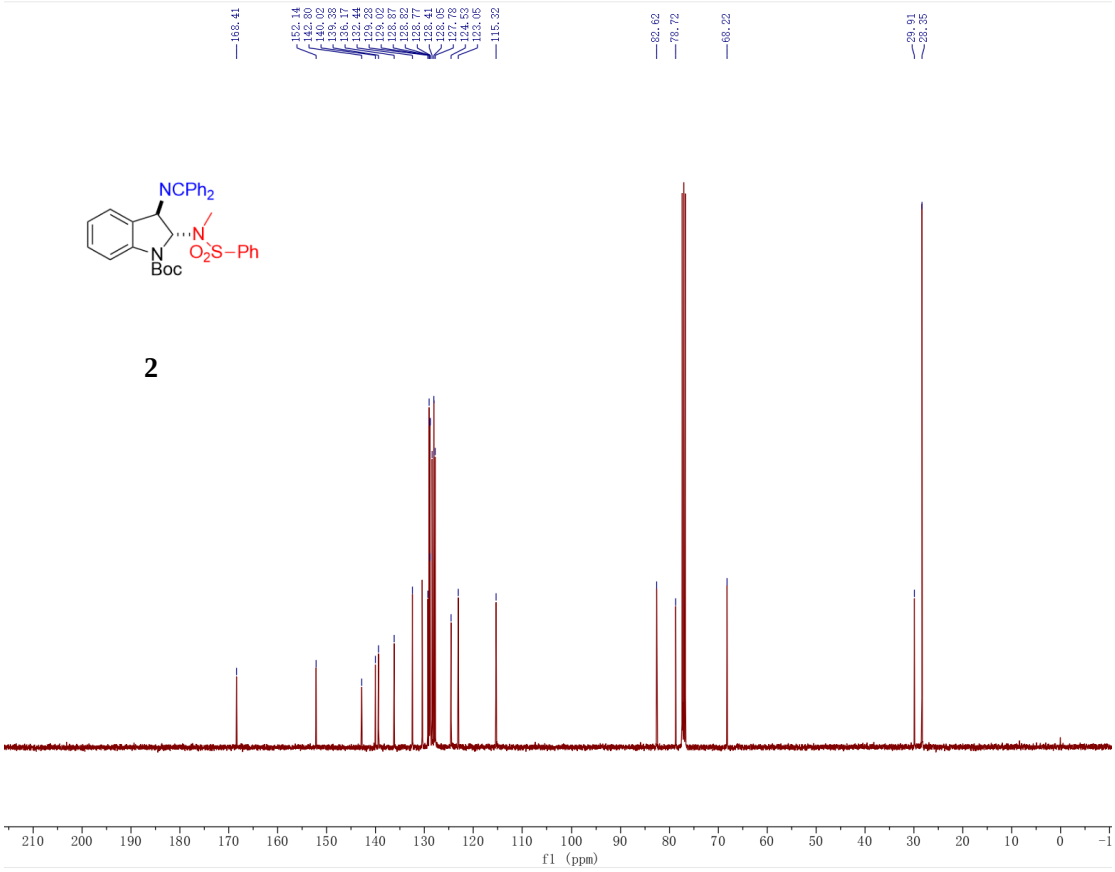
1

^{1}H NMR (400 MHz, $CDCl_3$)



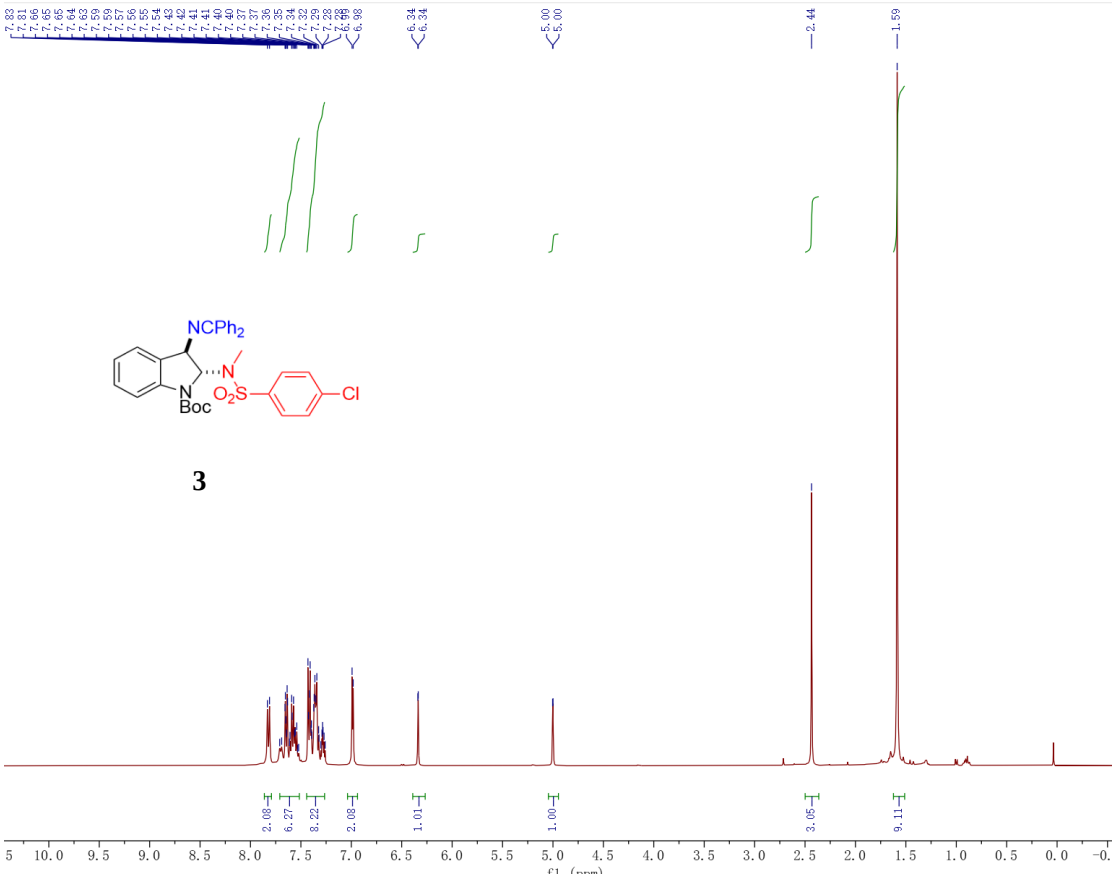
2

^{13}C NMR (101 MHz, $CDCl_3$)

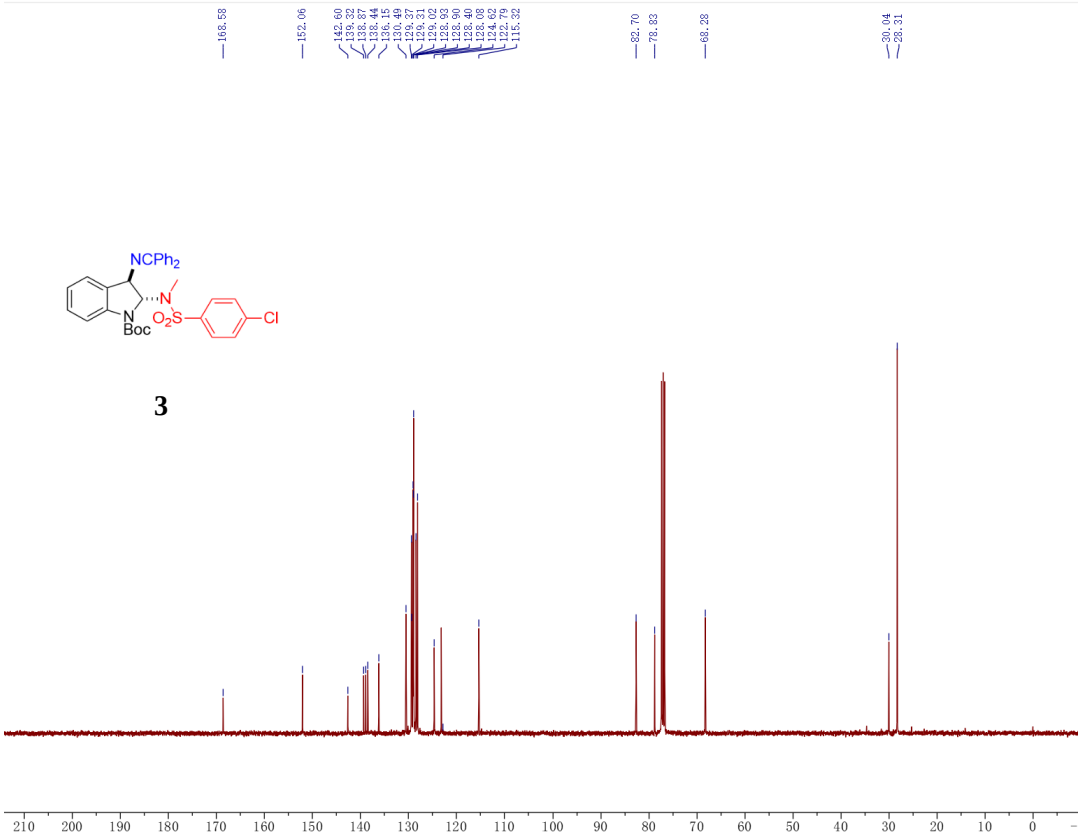


2

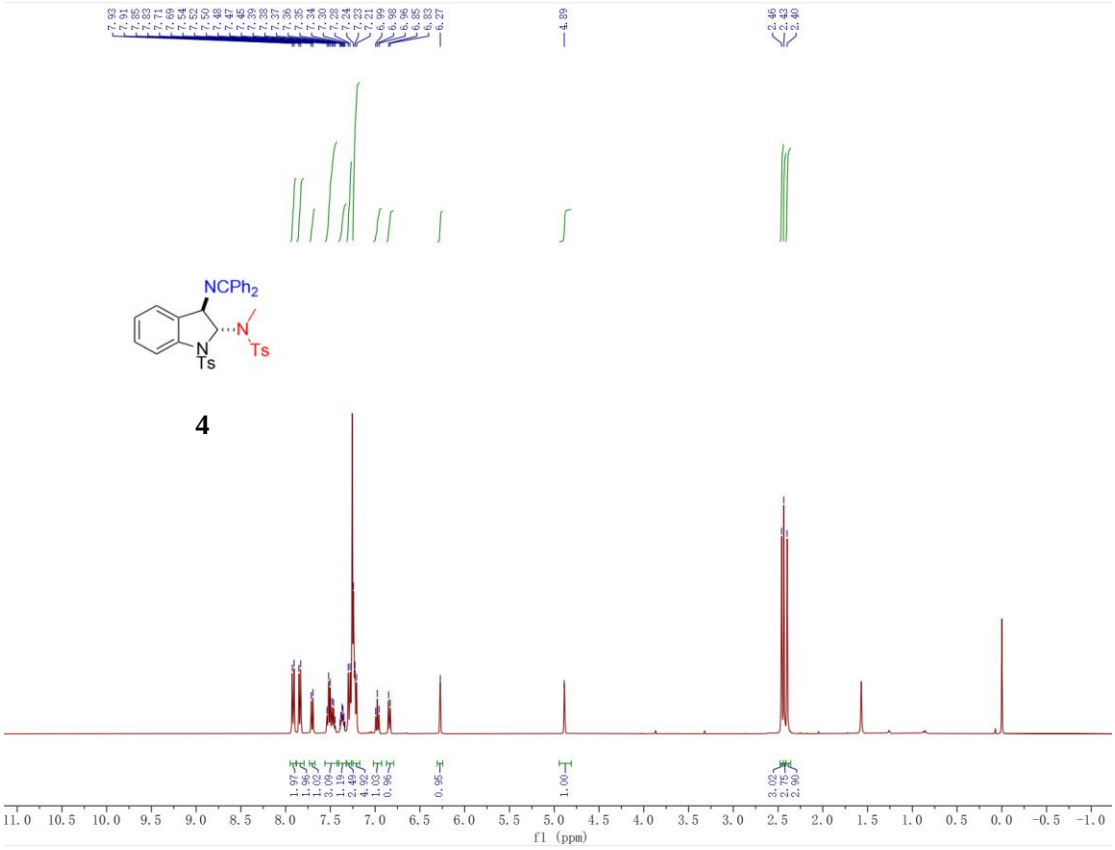
^{1}H NMR (400 MHz, $CDCl_3$)



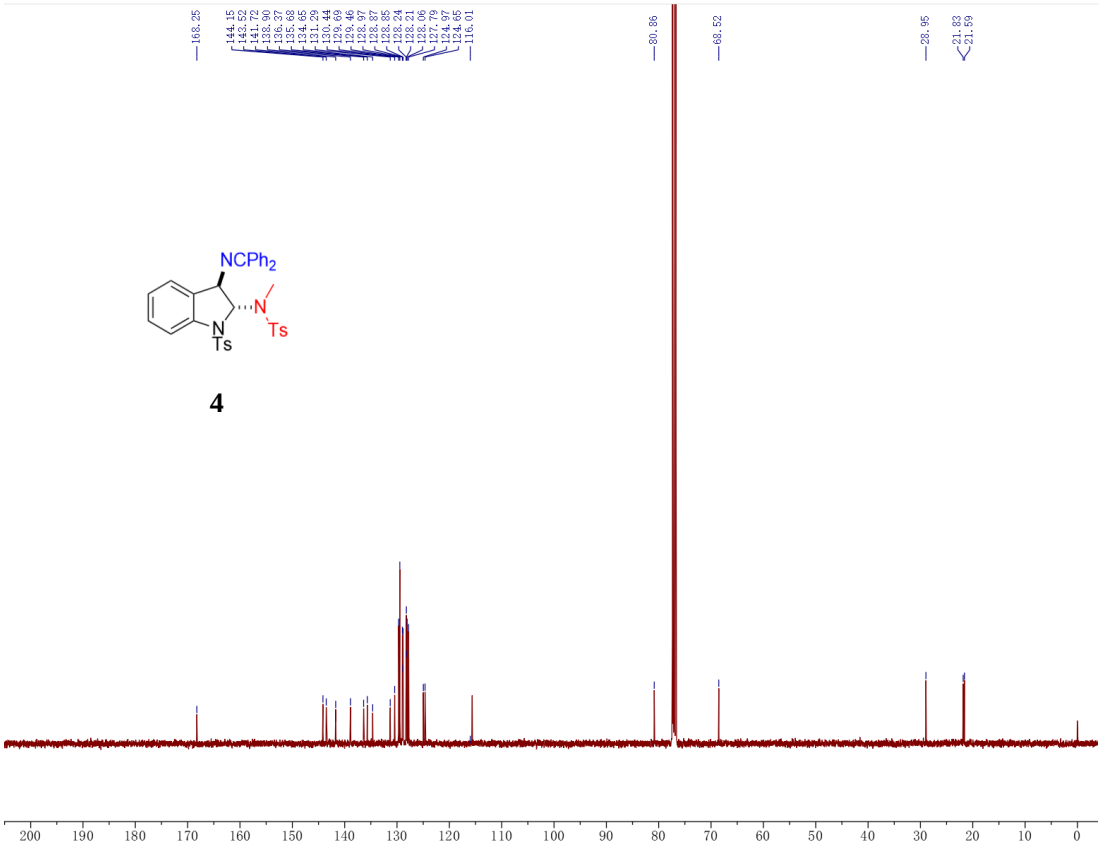
^{13}C NMR (101 MHz, $CDCl_3$)



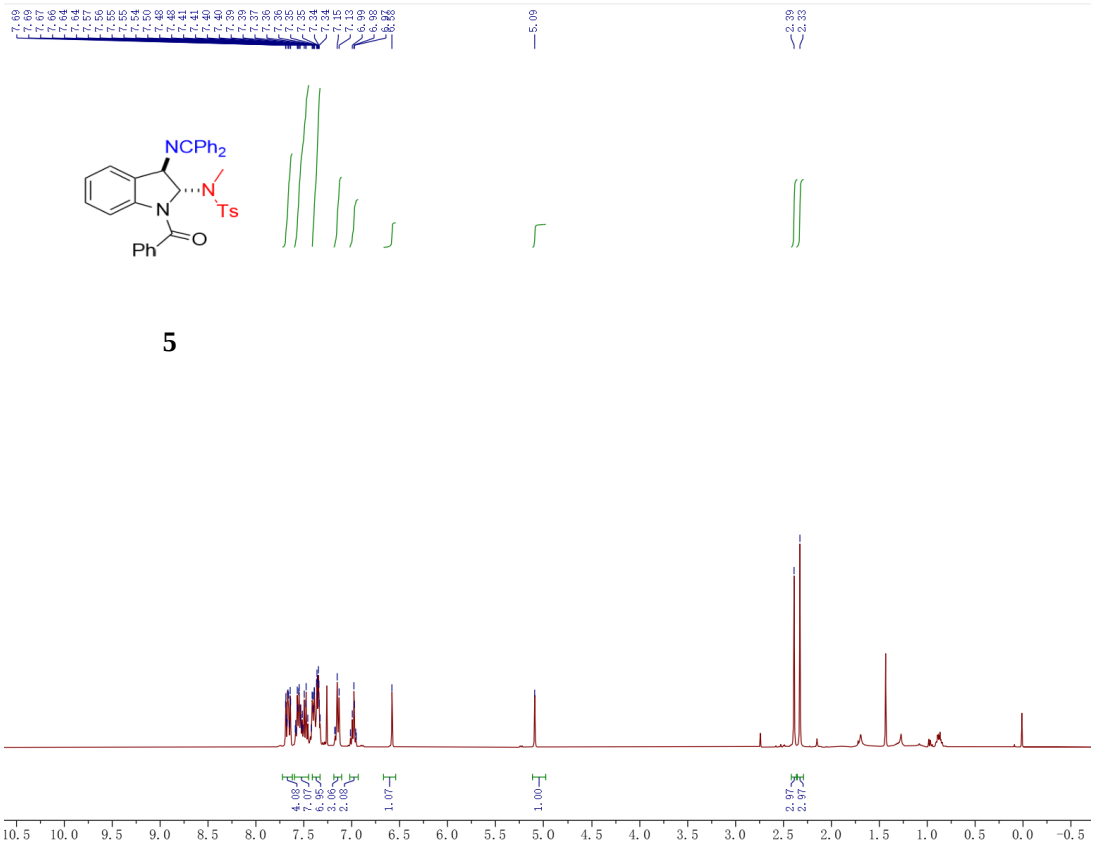
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)

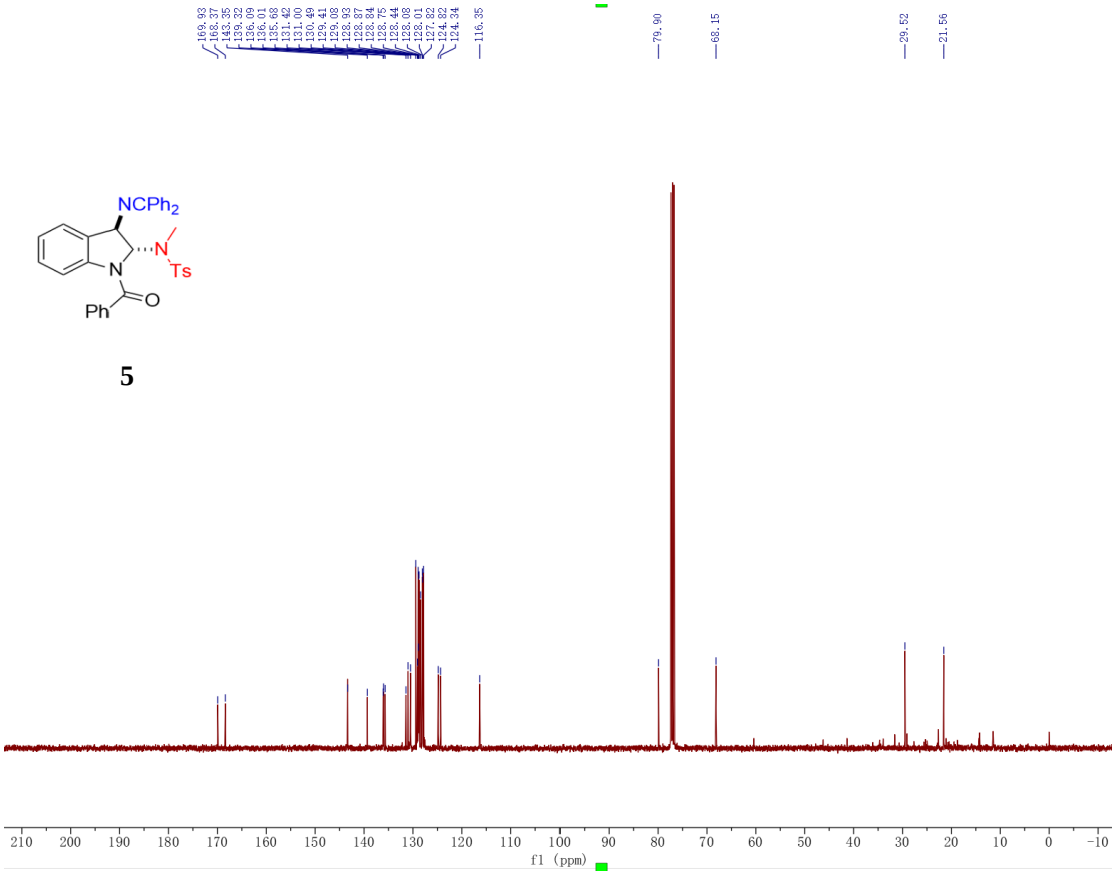


^{1}H NMR (400 MHz, $CDCl_3$)



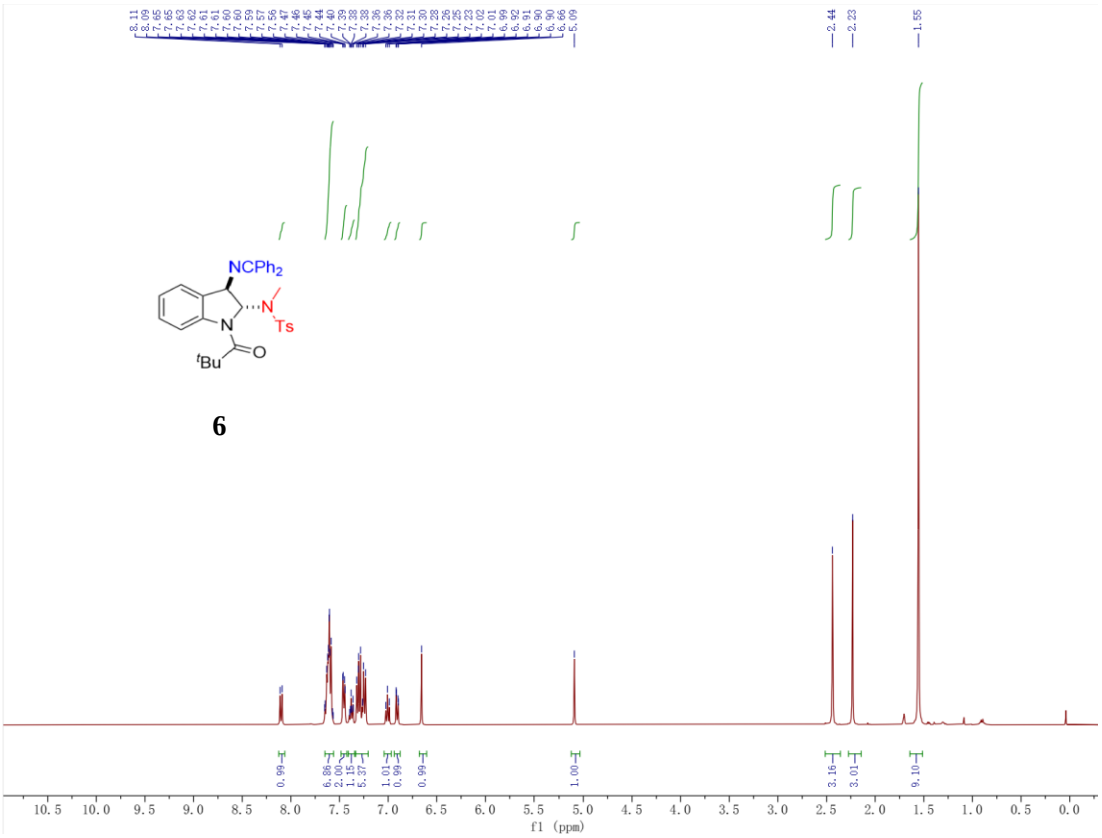
5

^{13}C NMR (101 MHz, $CDCl_3$)



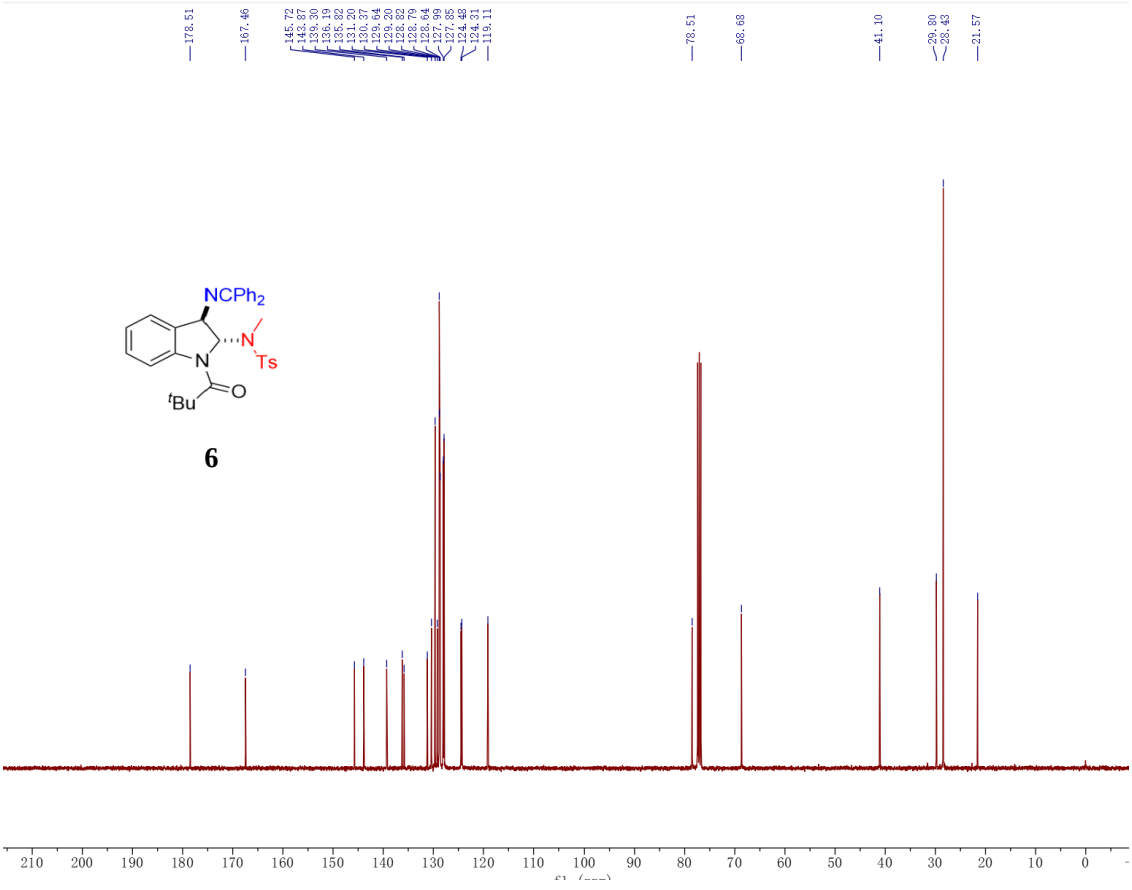
5

^{1}H NMR (400 MHz, $CDCl_3$)



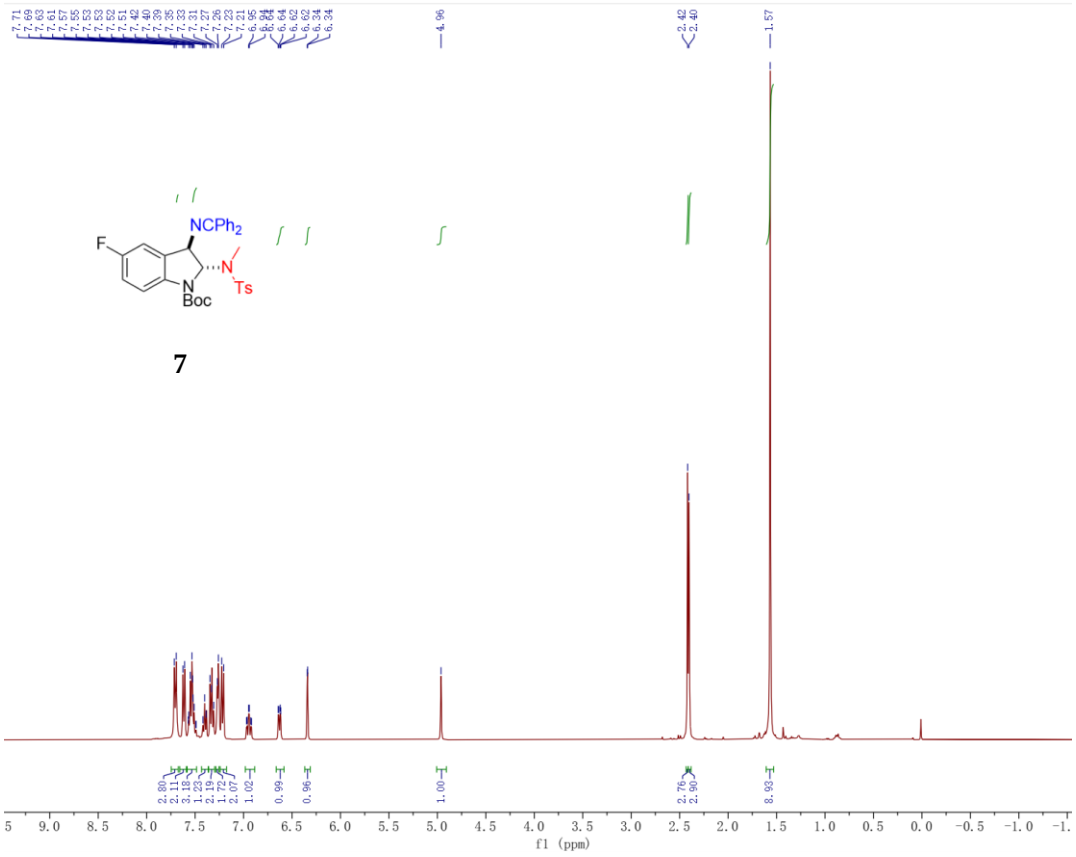
6

^{13}C NMR (101 MHz, $CDCl_3$)



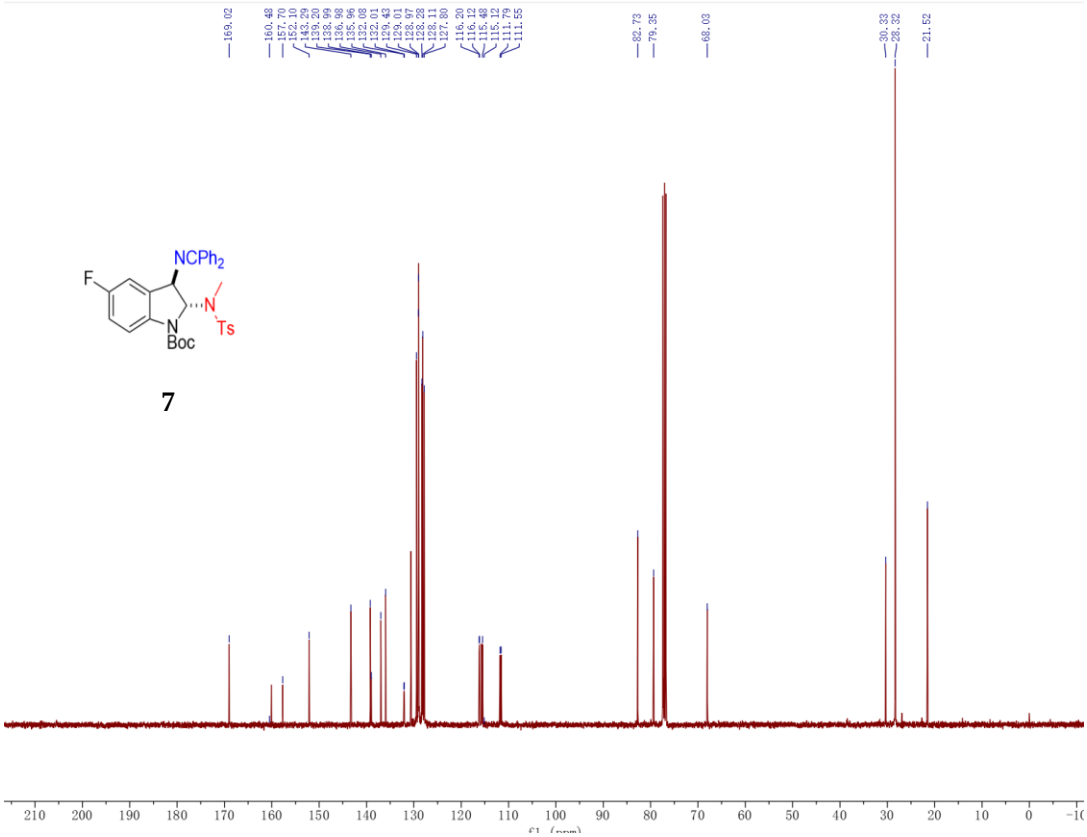
6

^{1}H NMR (400 MHz, $CDCl_3$)



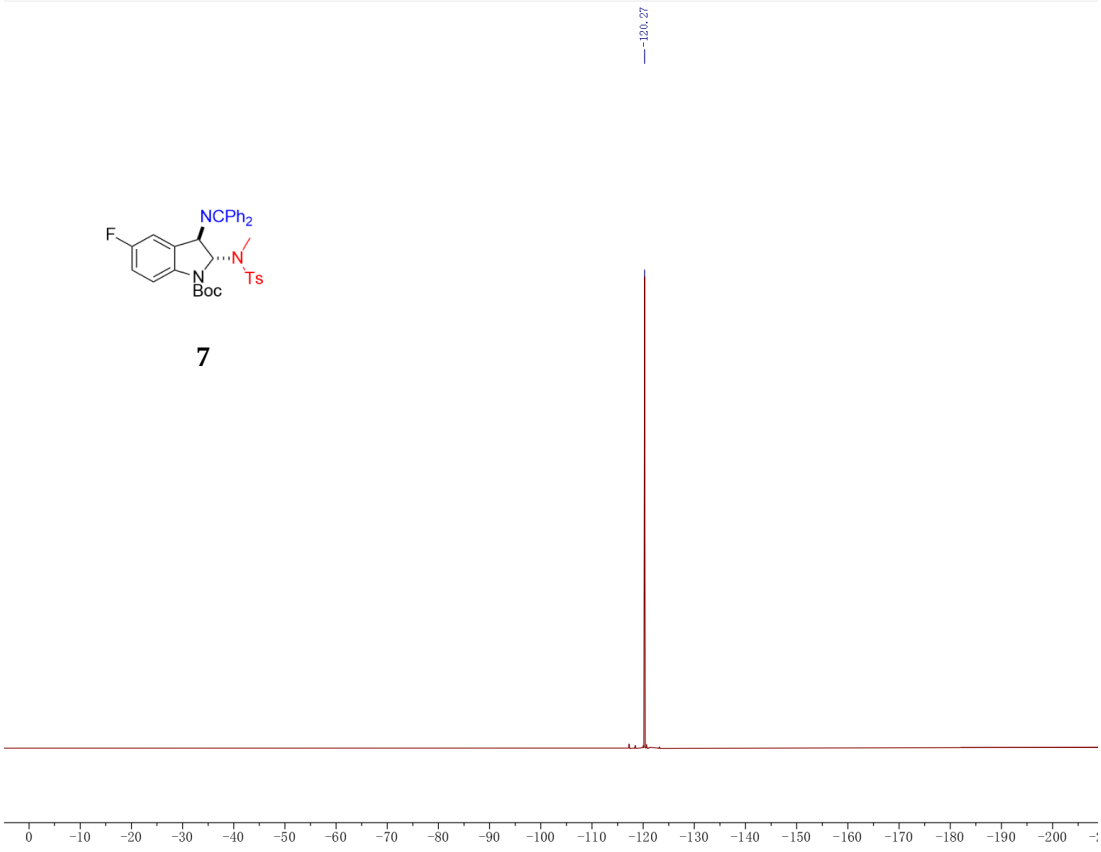
7

^{13}C NMR (101 MHz, $CDCl_3$)



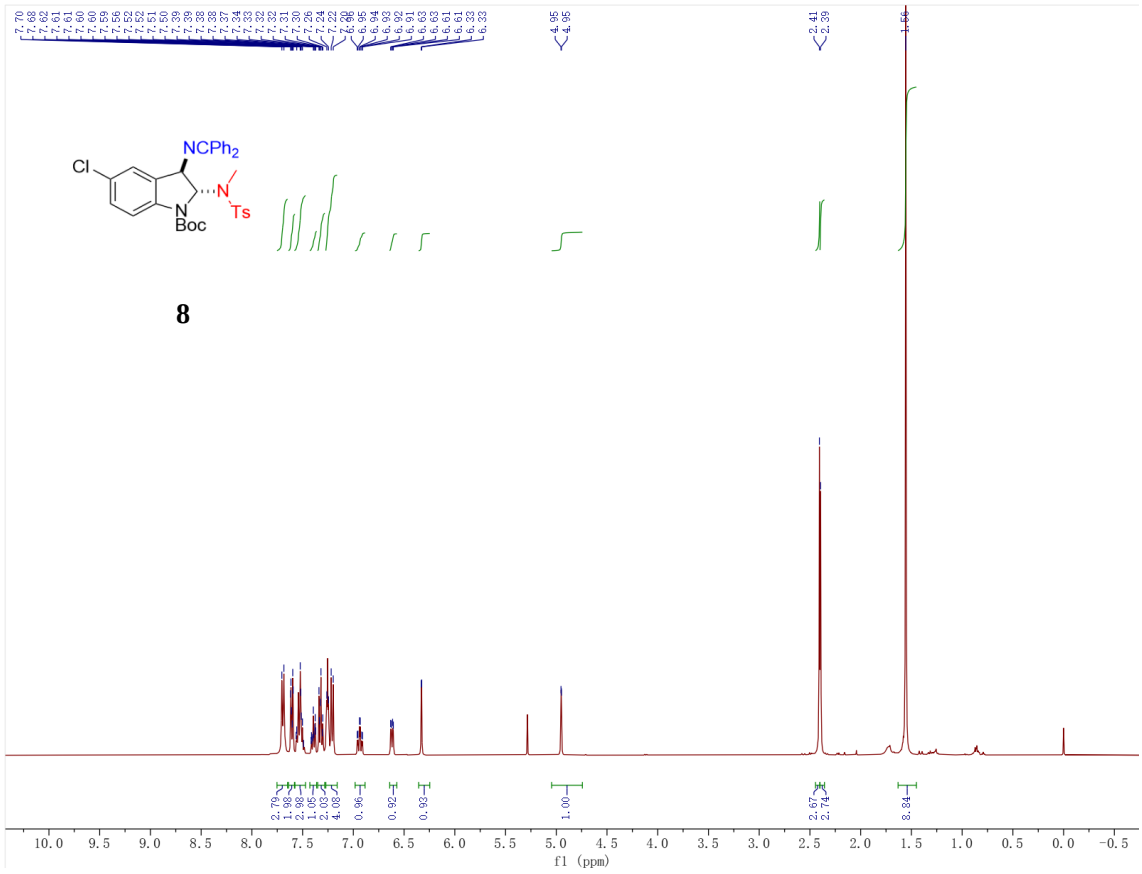
7

^{19}F NMR (337 MHz, $CDCl_3$)



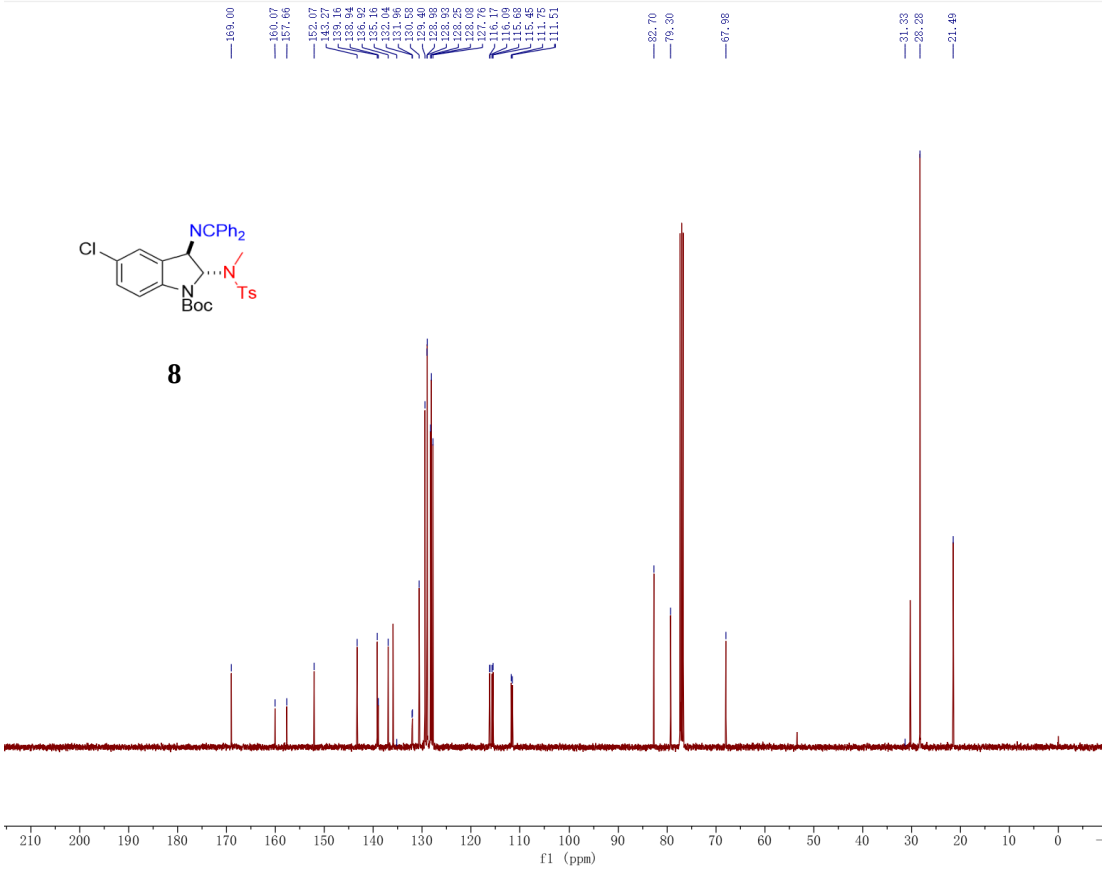
7

^{1}H NMR (400 MHz, $CDCl_3$)

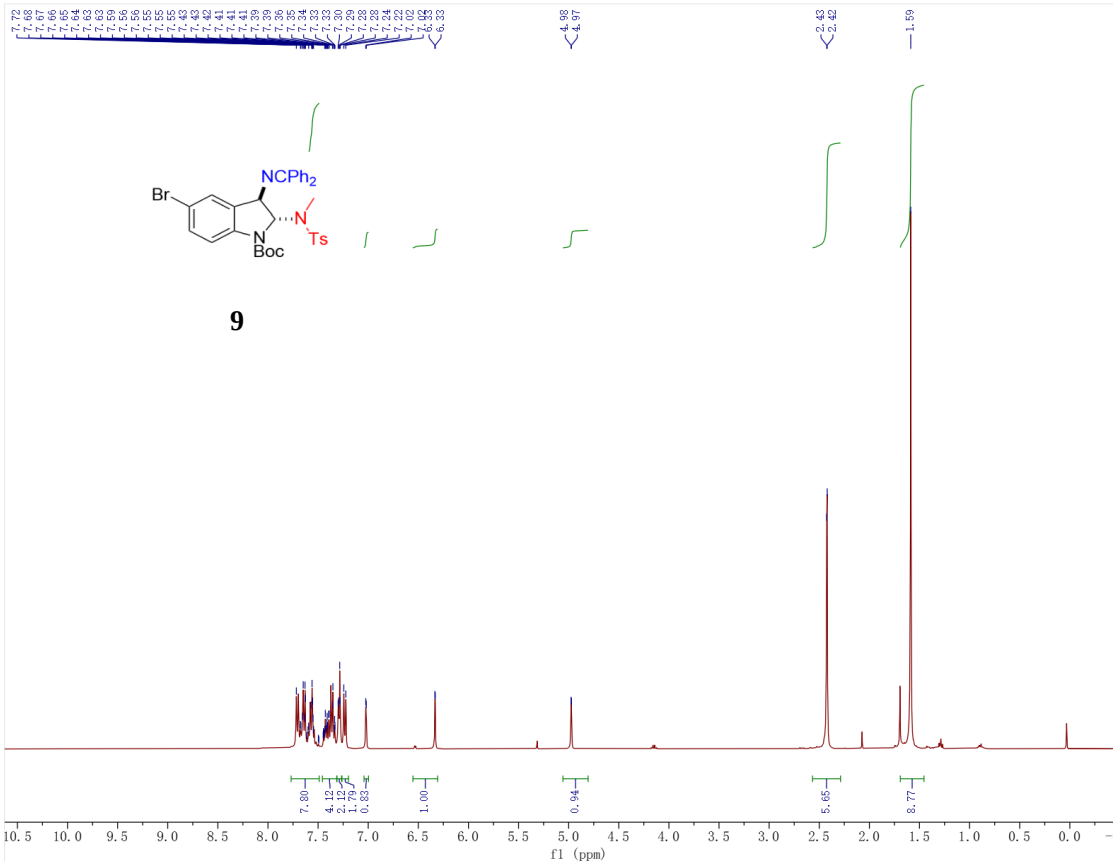


8

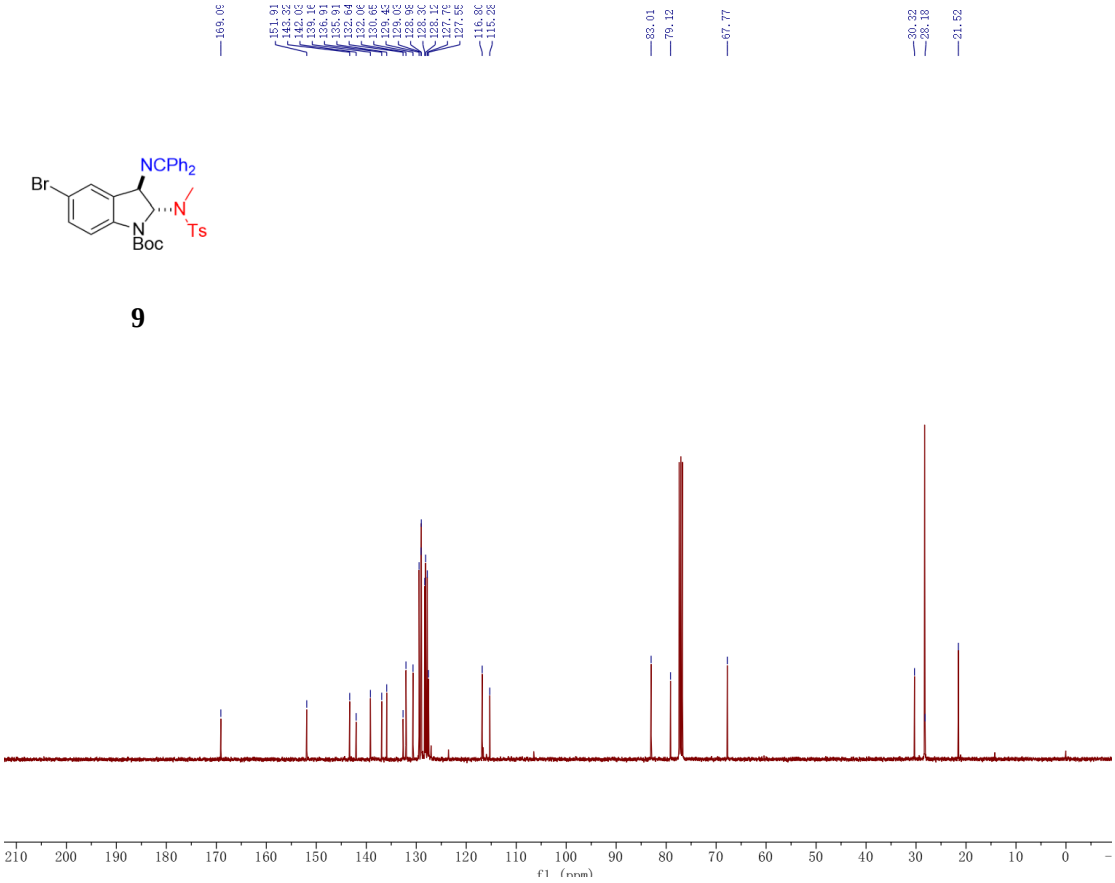
^{13}C NMR (101 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

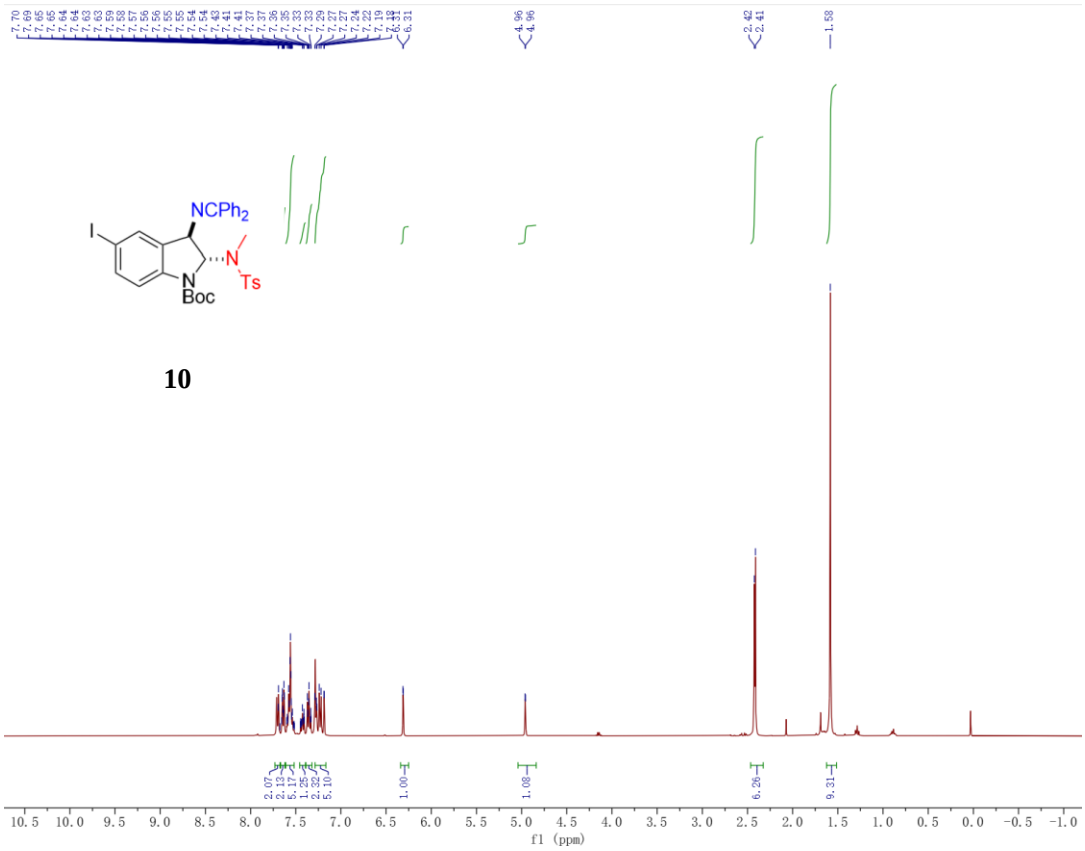


^{13}C NMR (101 MHz, $CDCl_3$)



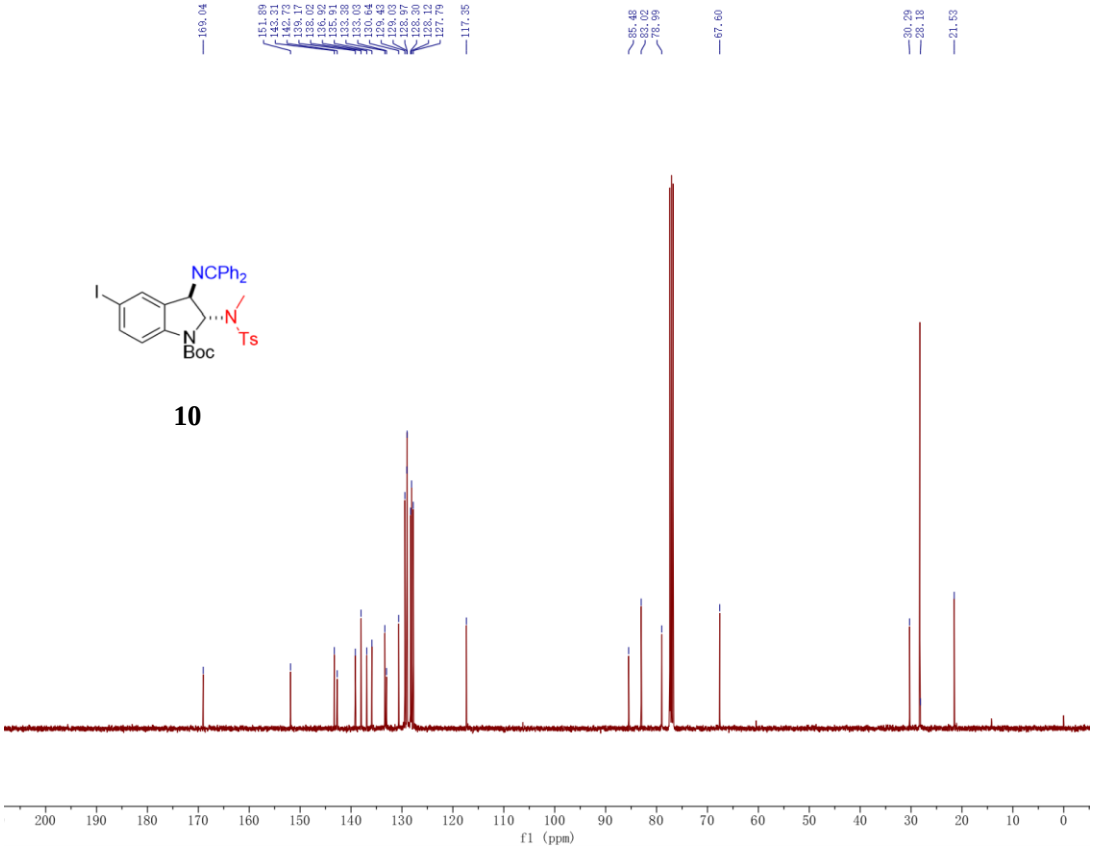
9

^{1}H NMR (400 MHz, $CDCl_3$)



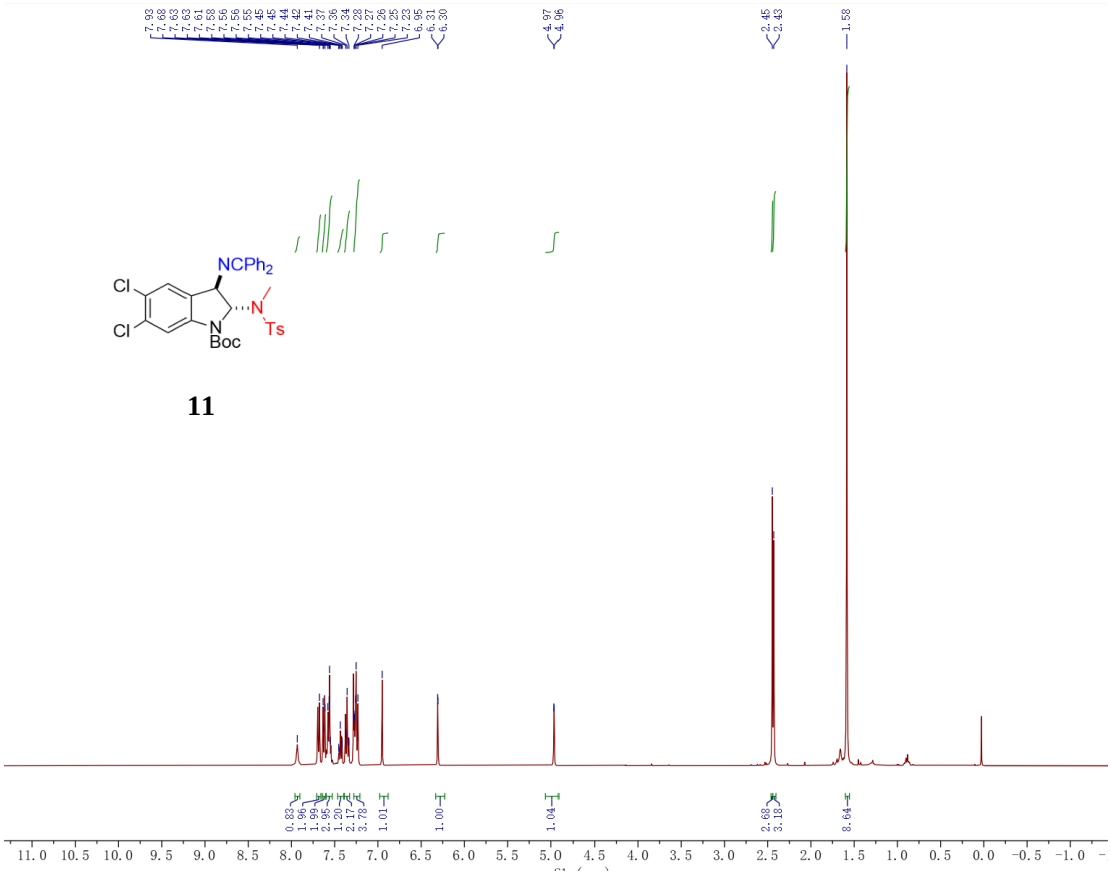
10

^{13}C NMR (101 MHz, $CDCl_3$)



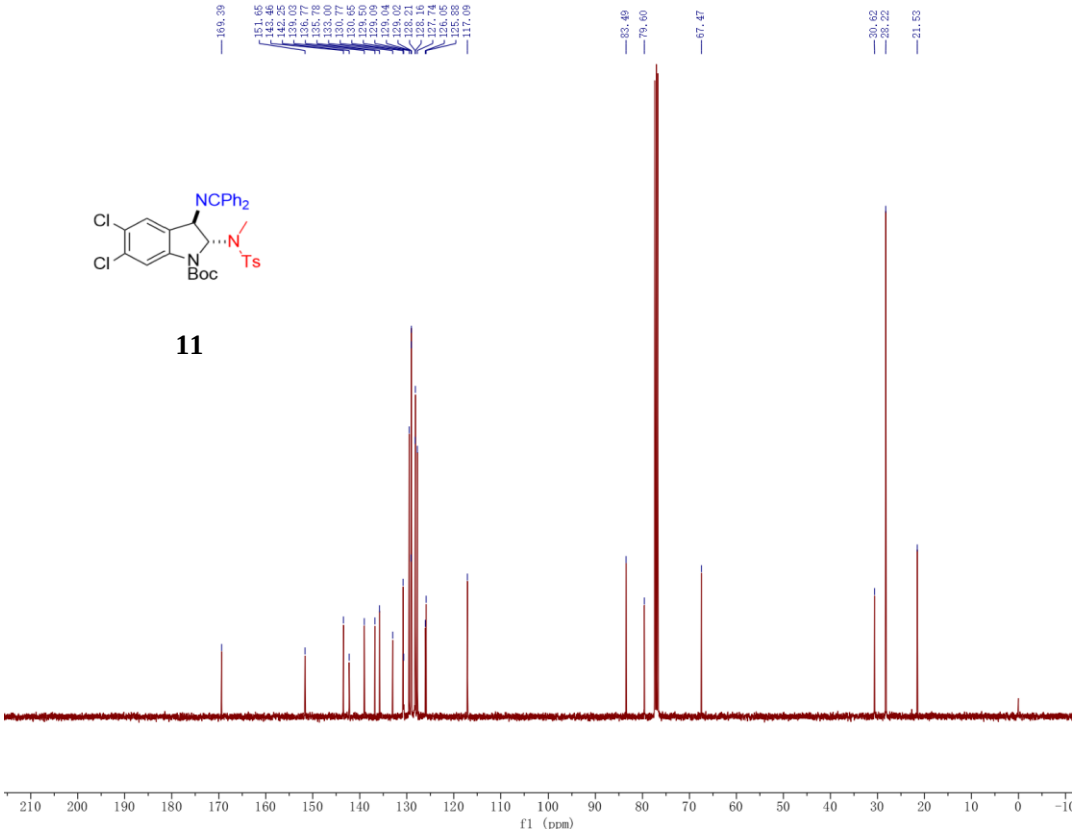
10

^{1}H NMR (400 MHz, $CDCl_3$)



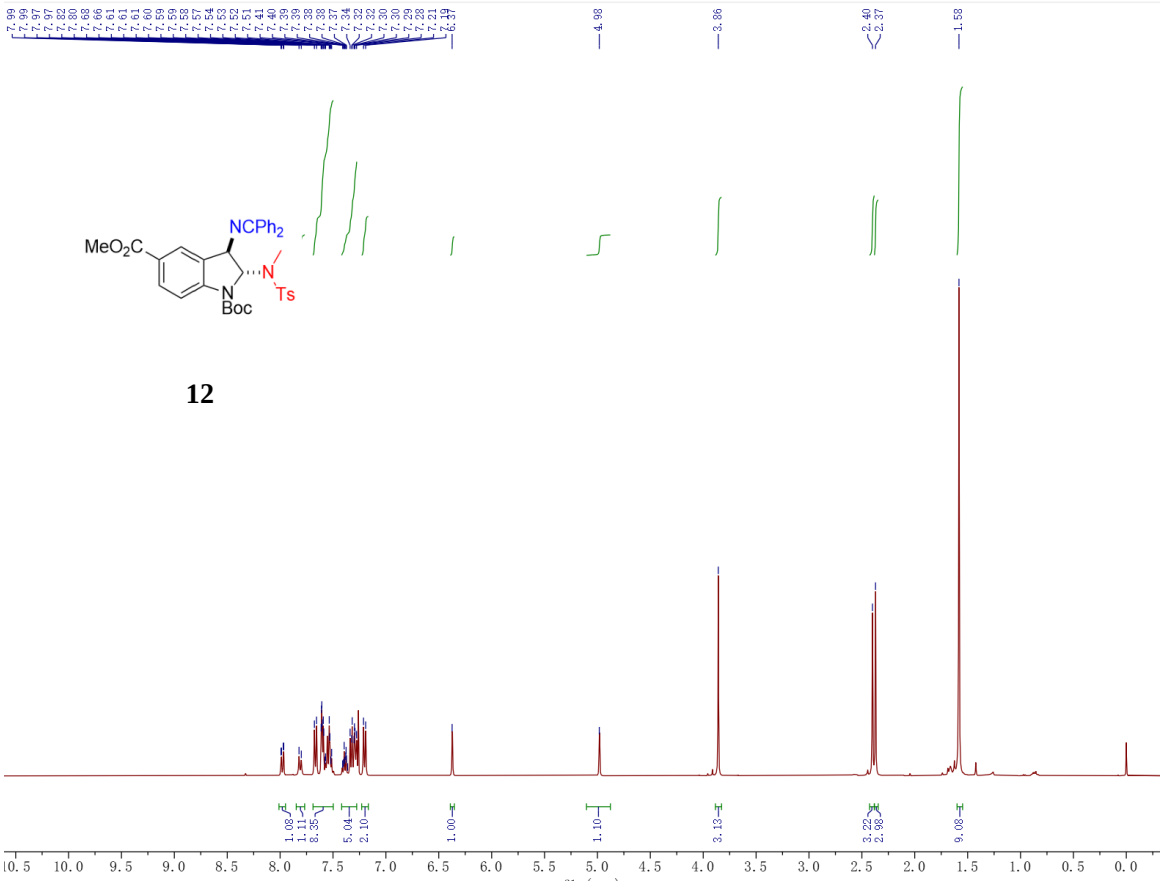
11

^{13}C NMR (101 MHz, $CDCl_3$)



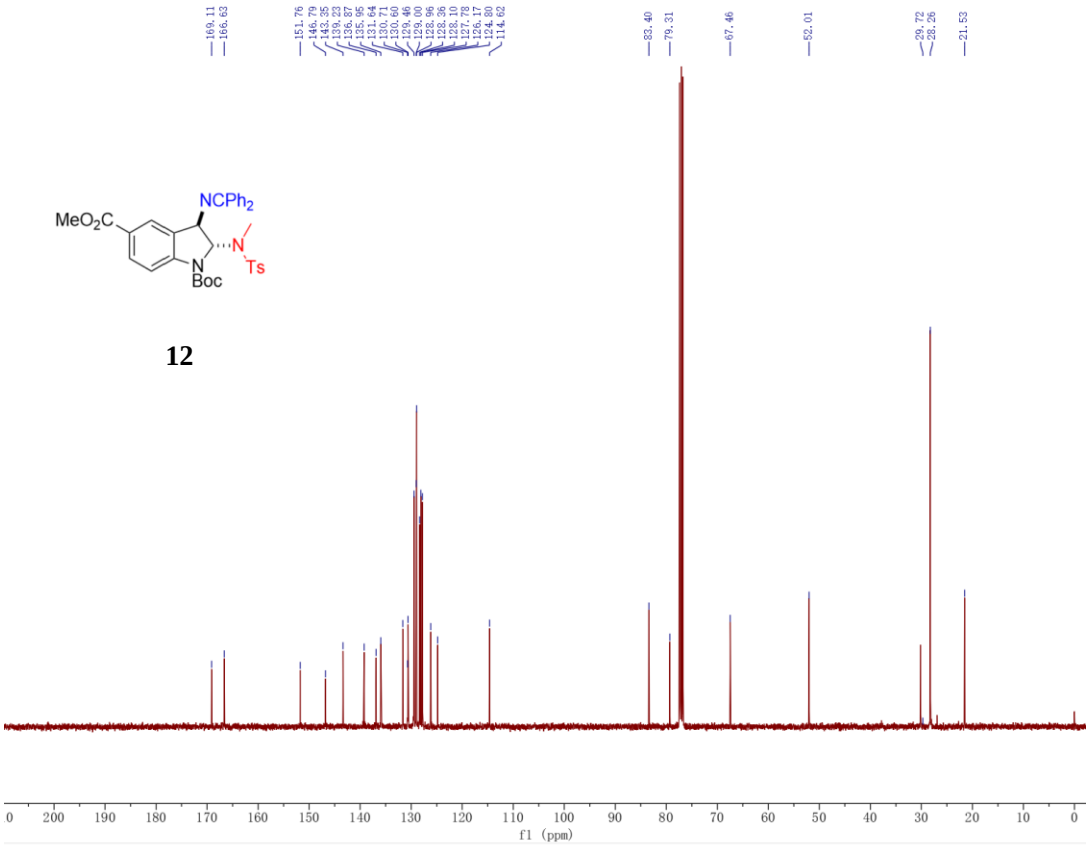
11

^{1}H NMR (400 MHz, $CDCl_3$)



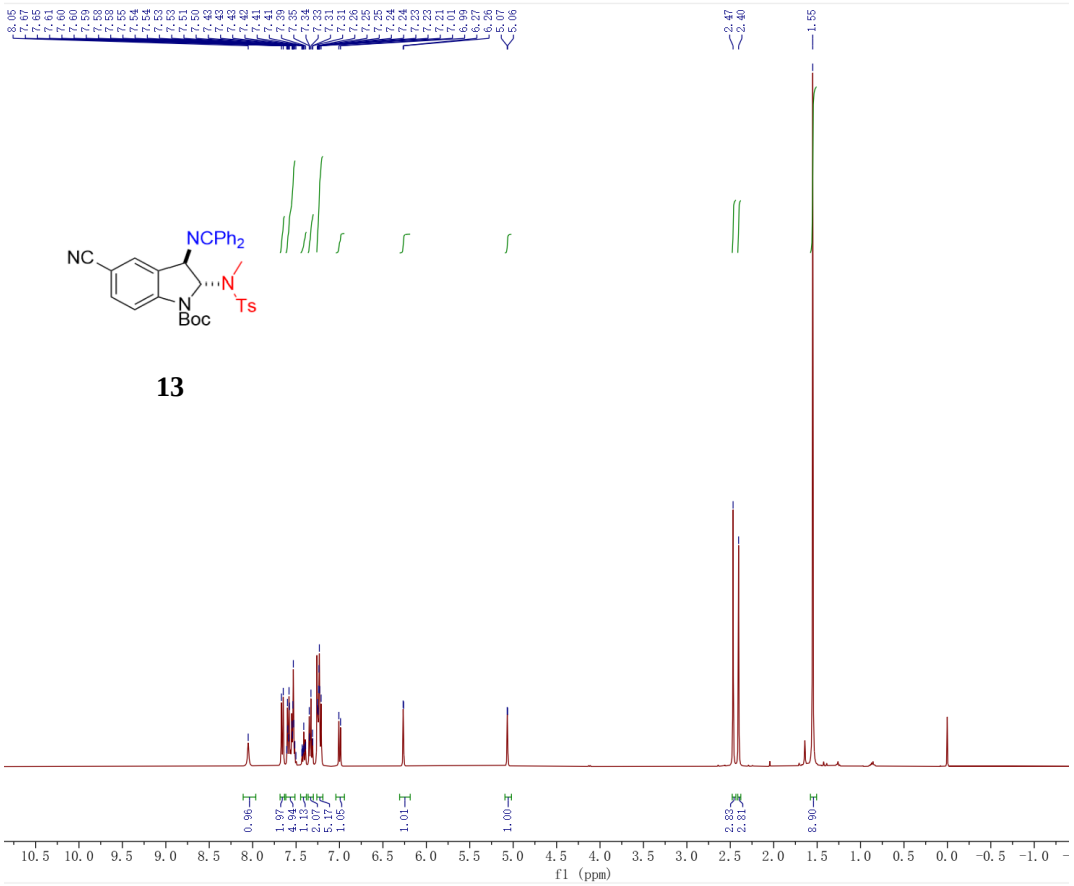
12

^{13}C NMR (101 MHz, $CDCl_3$)



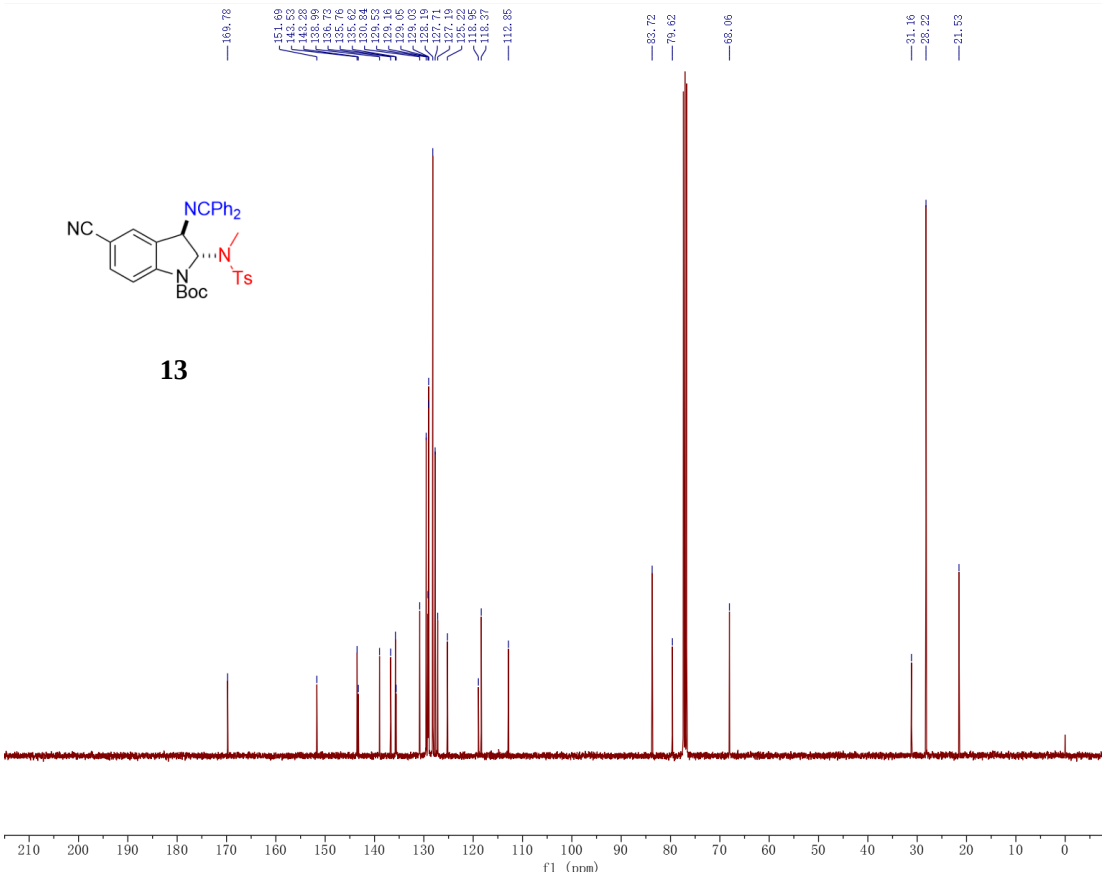
12

^{1}H NMR (400 MHz, $CDCl_3$)



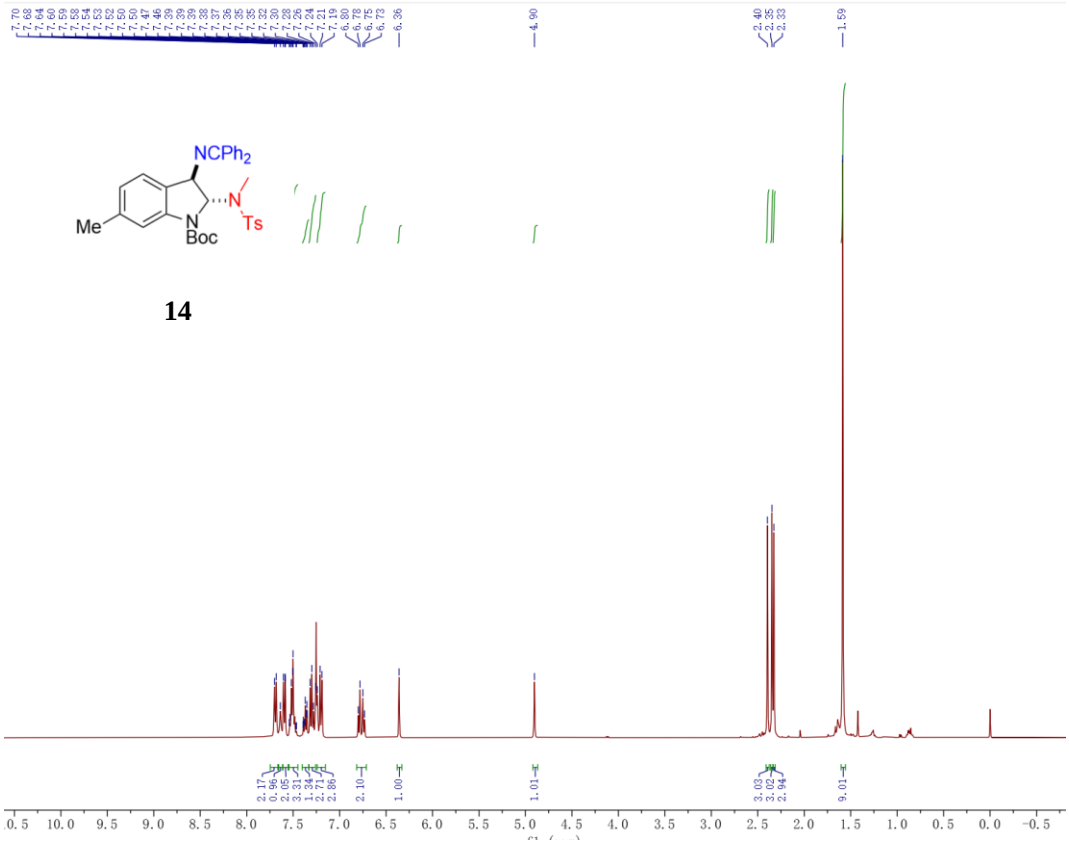
13

^{13}C NMR (101 MHz, $CDCl_3$)



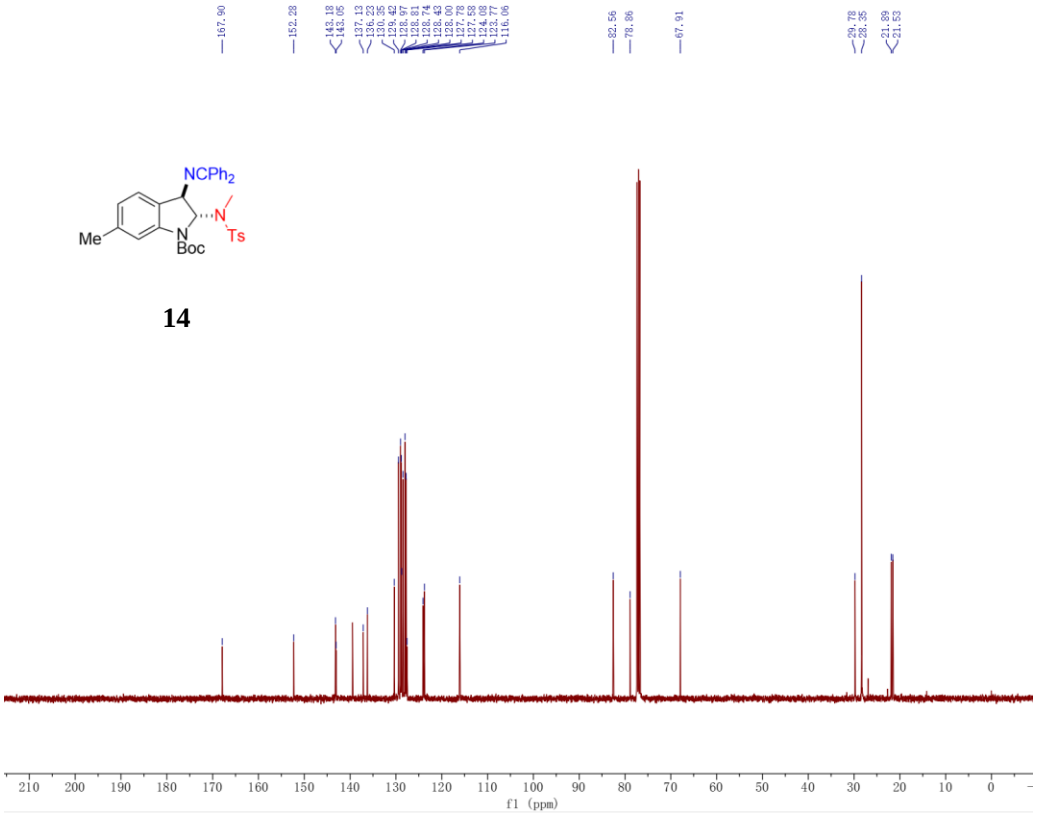
13

^{1}H NMR (400 MHz, $CDCl_3$)



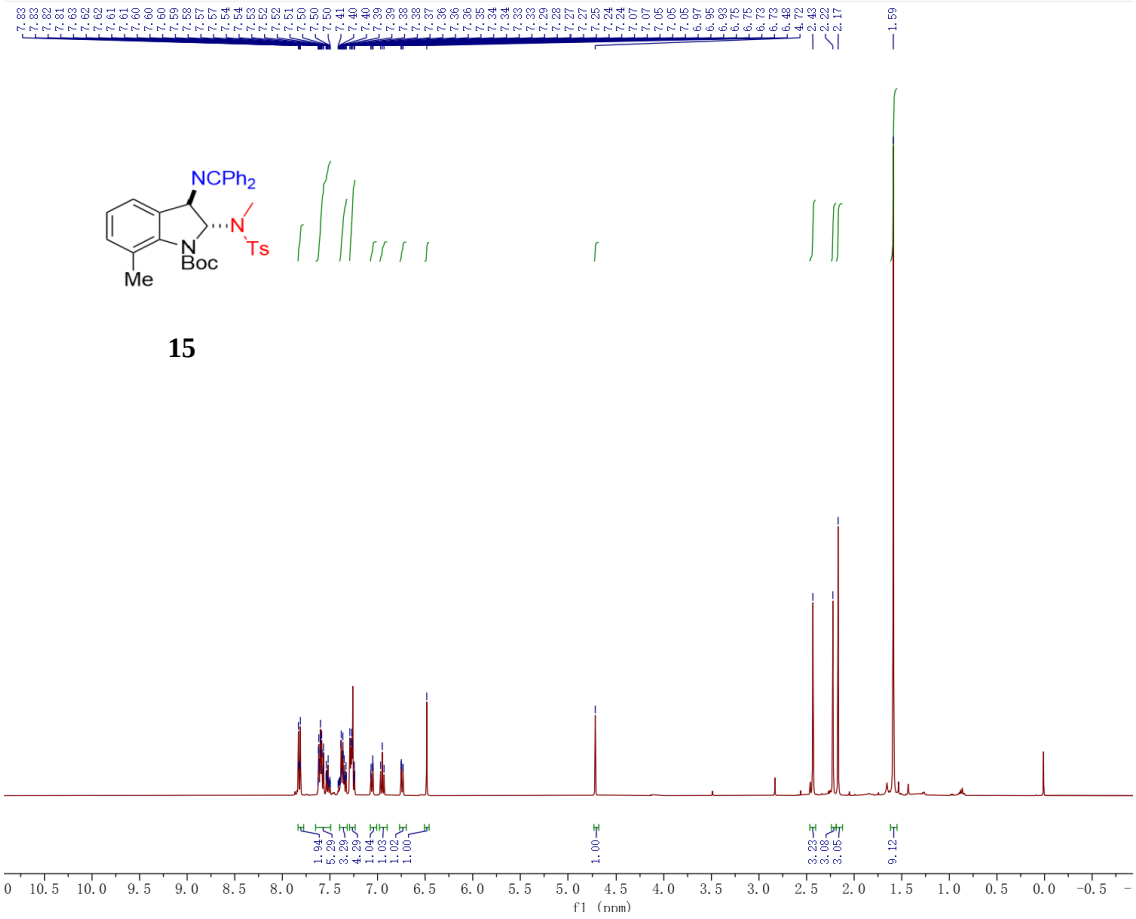
14

^{13}C NMR (101 MHz, $CDCl_3$)



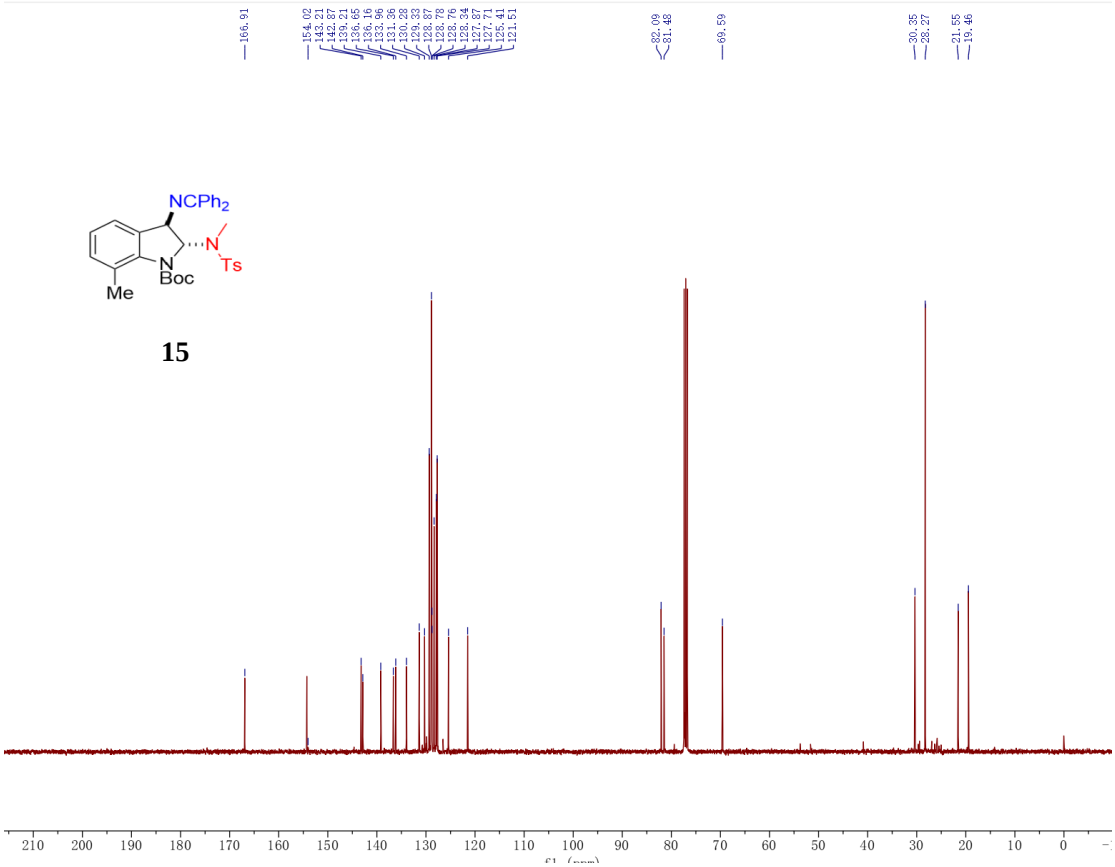
14

^{1}H NMR (400 MHz, $CDCl_3$)



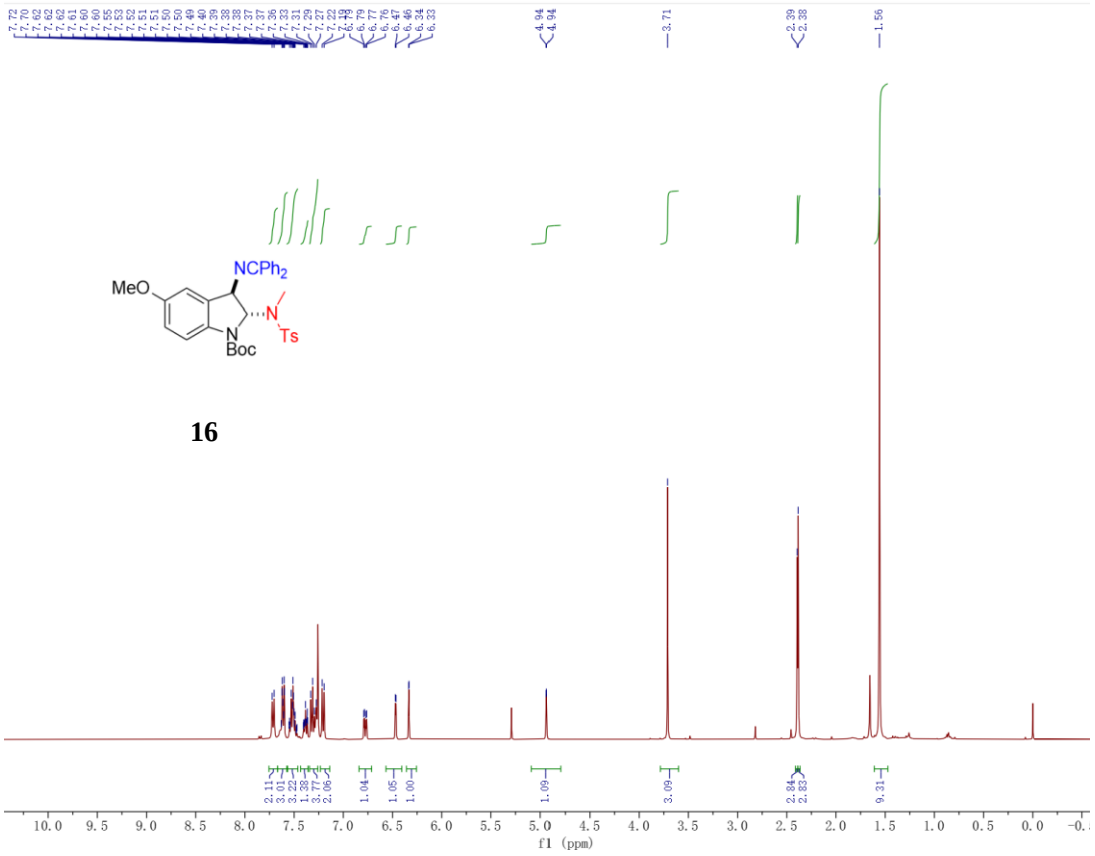
15

^{13}C NMR (101 MHz, $CDCl_3$)



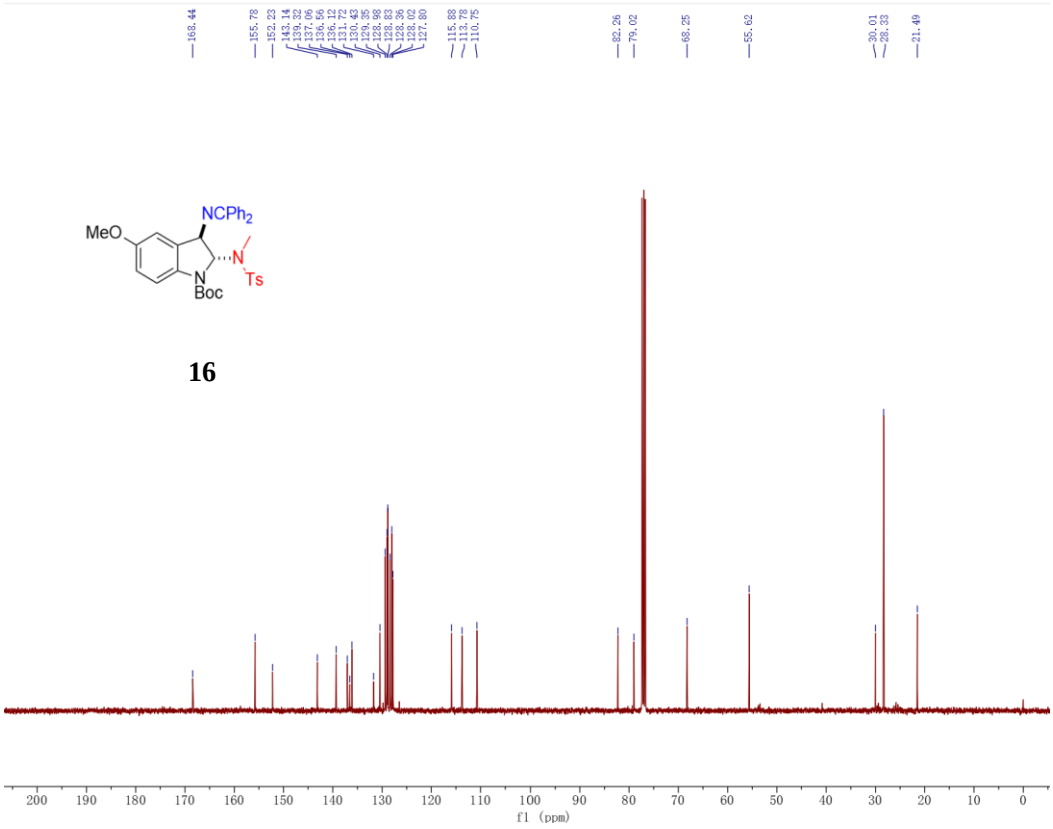
15

^{1}H NMR (400 MHz, $CDCl_3$)



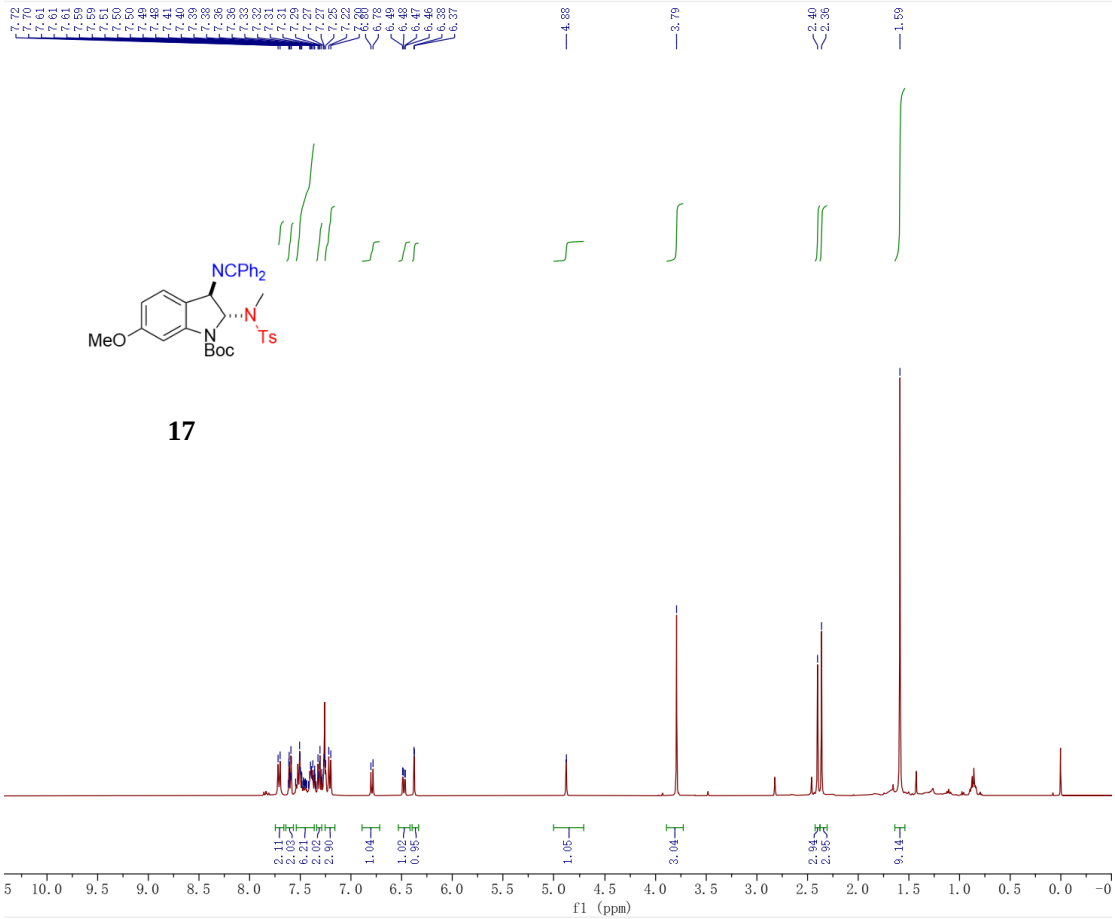
16

^{13}C NMR (101 MHz, $CDCl_3$)



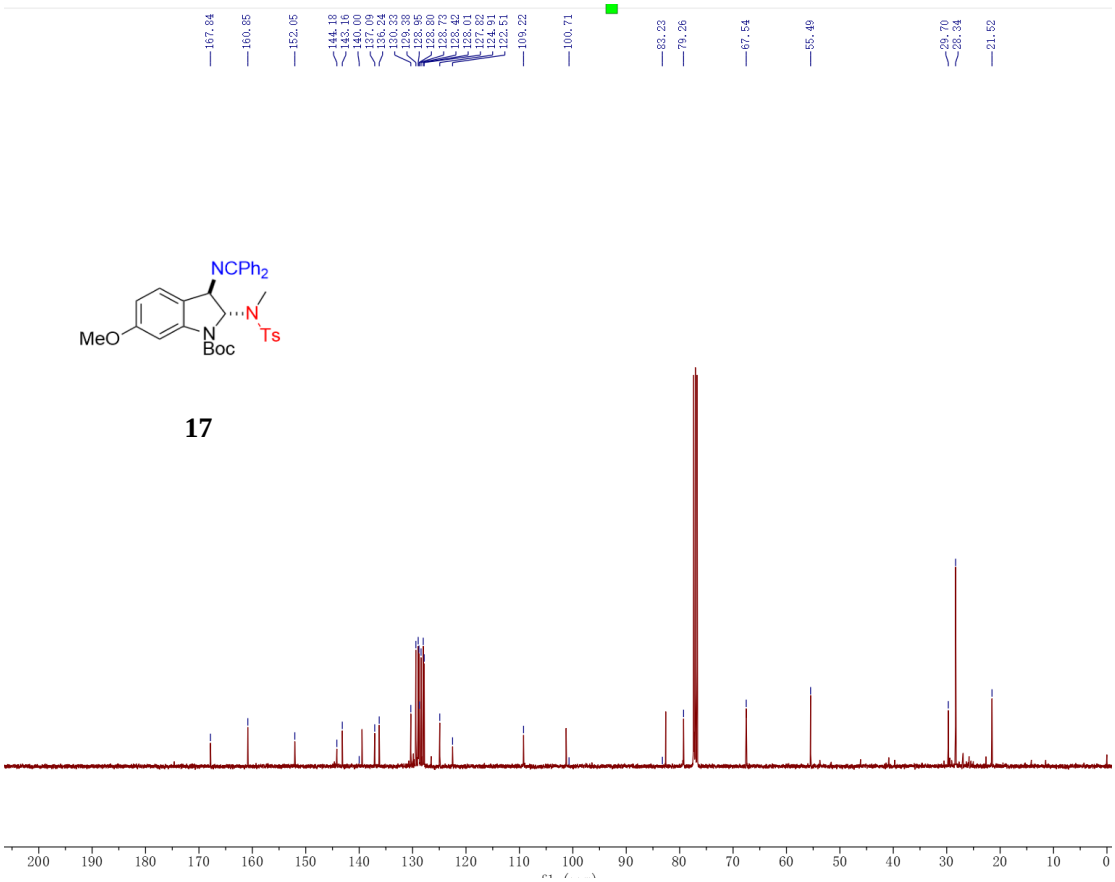
16

^{1}H NMR (400 MHz, $CDCl_3$)



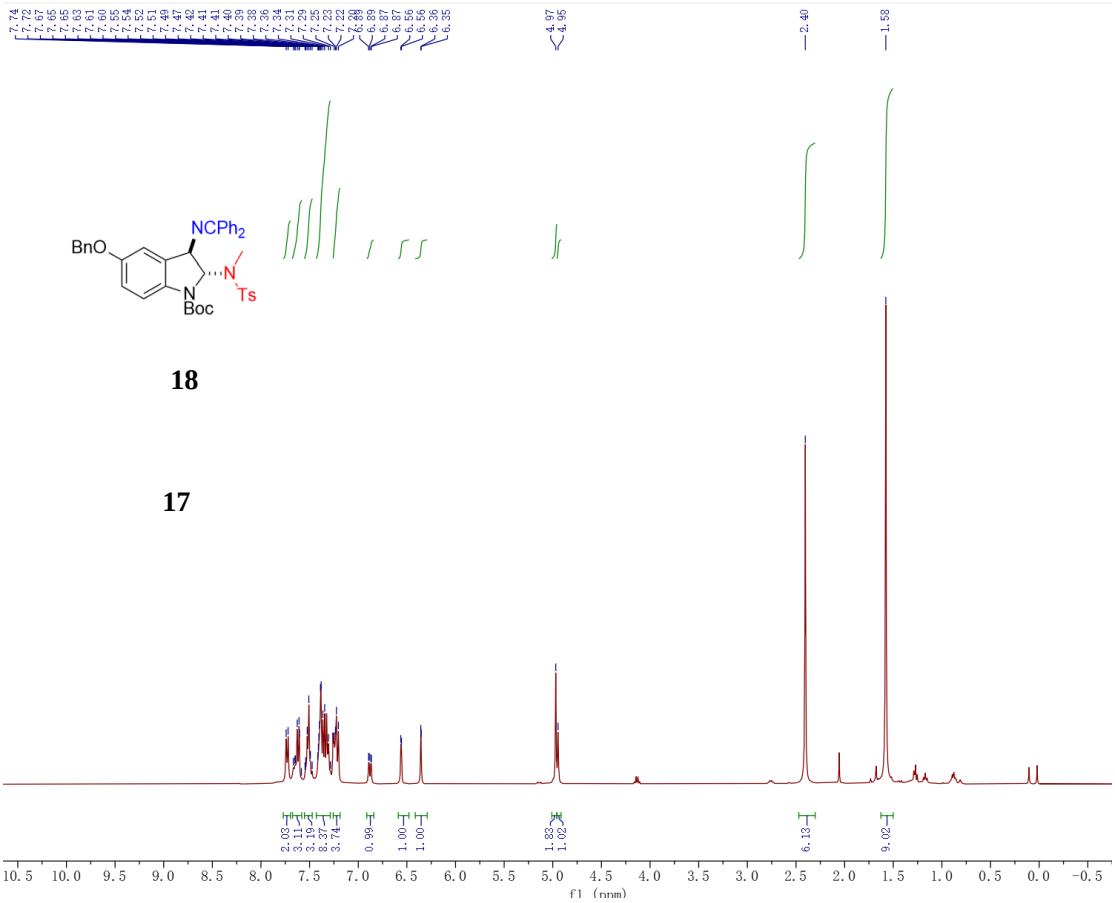
17

^{13}C NMR (101 MHz, $CDCl_3$)



17

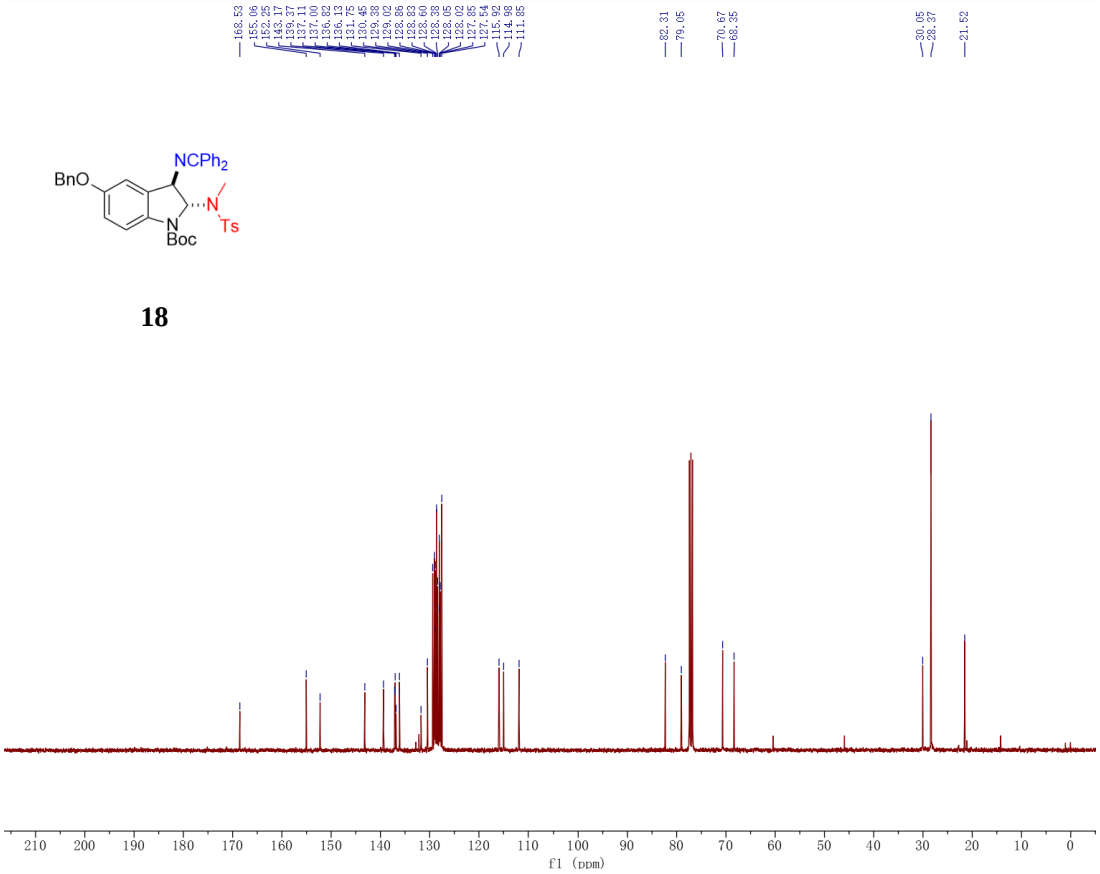
^{1}H NMR (400 MHz, $CDCl_3$)



18

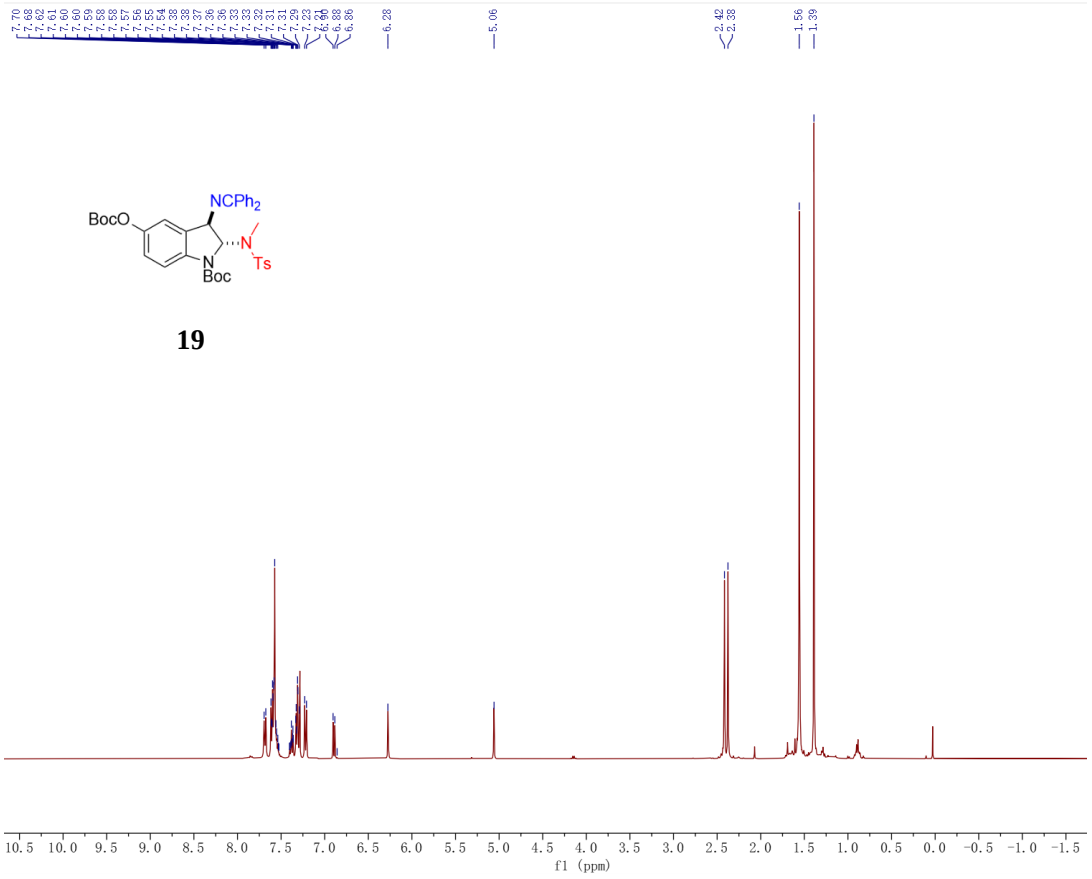
17

^{13}C NMR (101 MHz, $CDCl_3$)



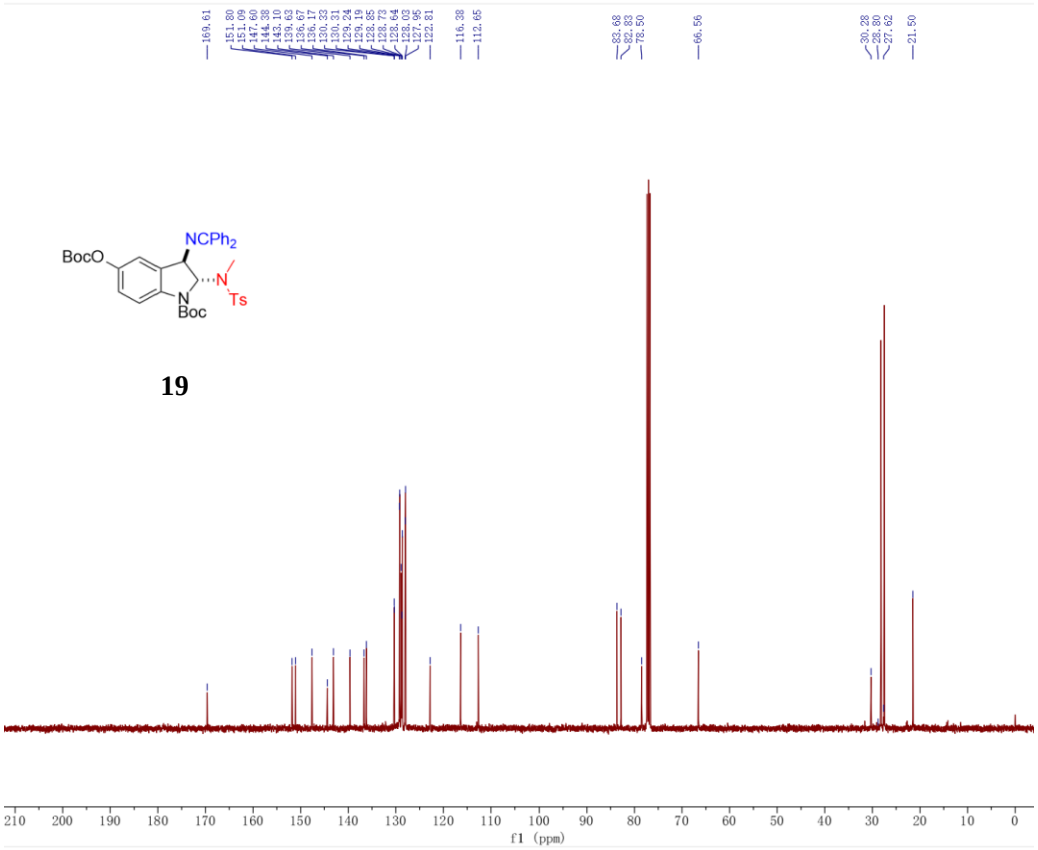
18

^{1}H NMR (400 MHz, $CDCl_3$)



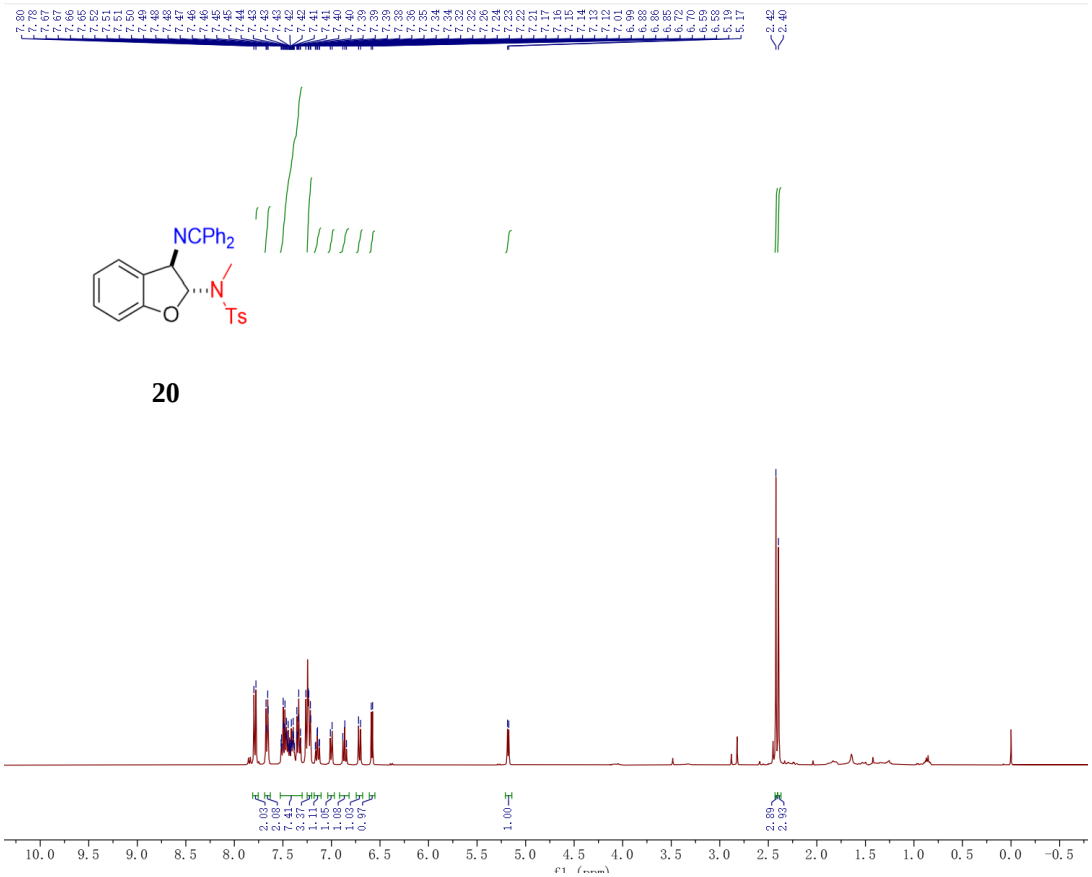
19

^{13}C NMR (101 MHz, $CDCl_3$)



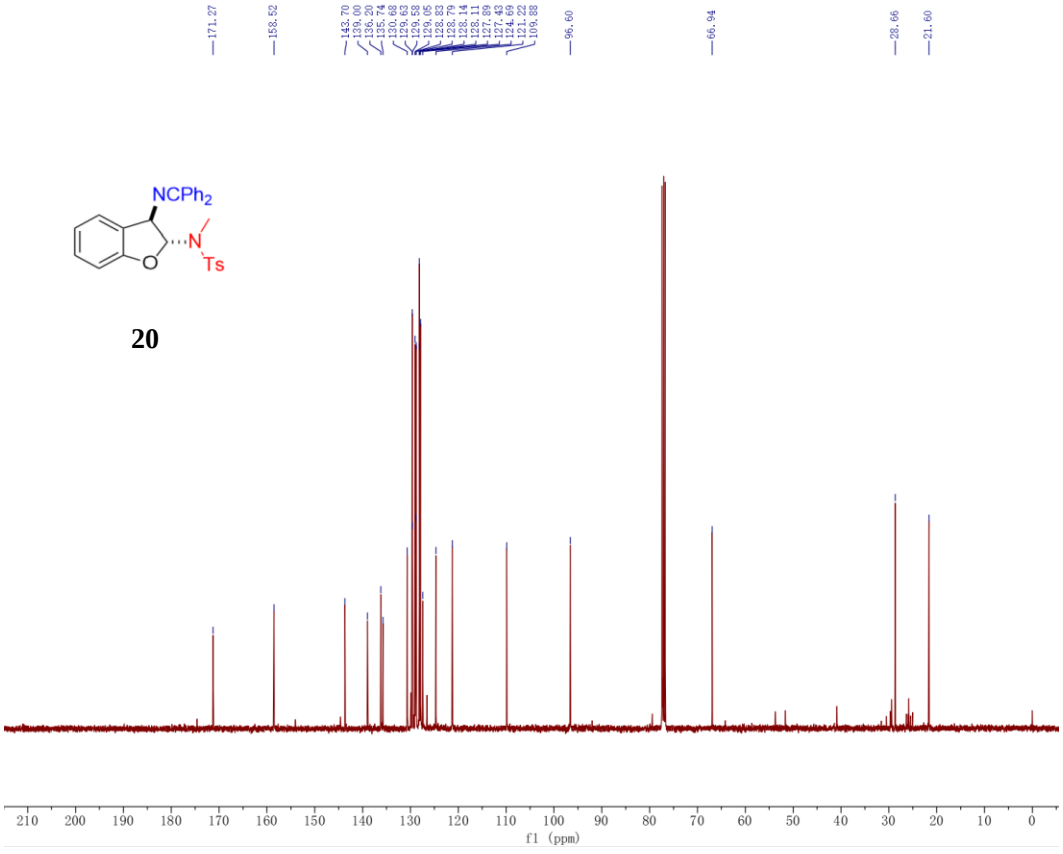
19

^{1}H NMR (400 MHz, $CDCl_3$)



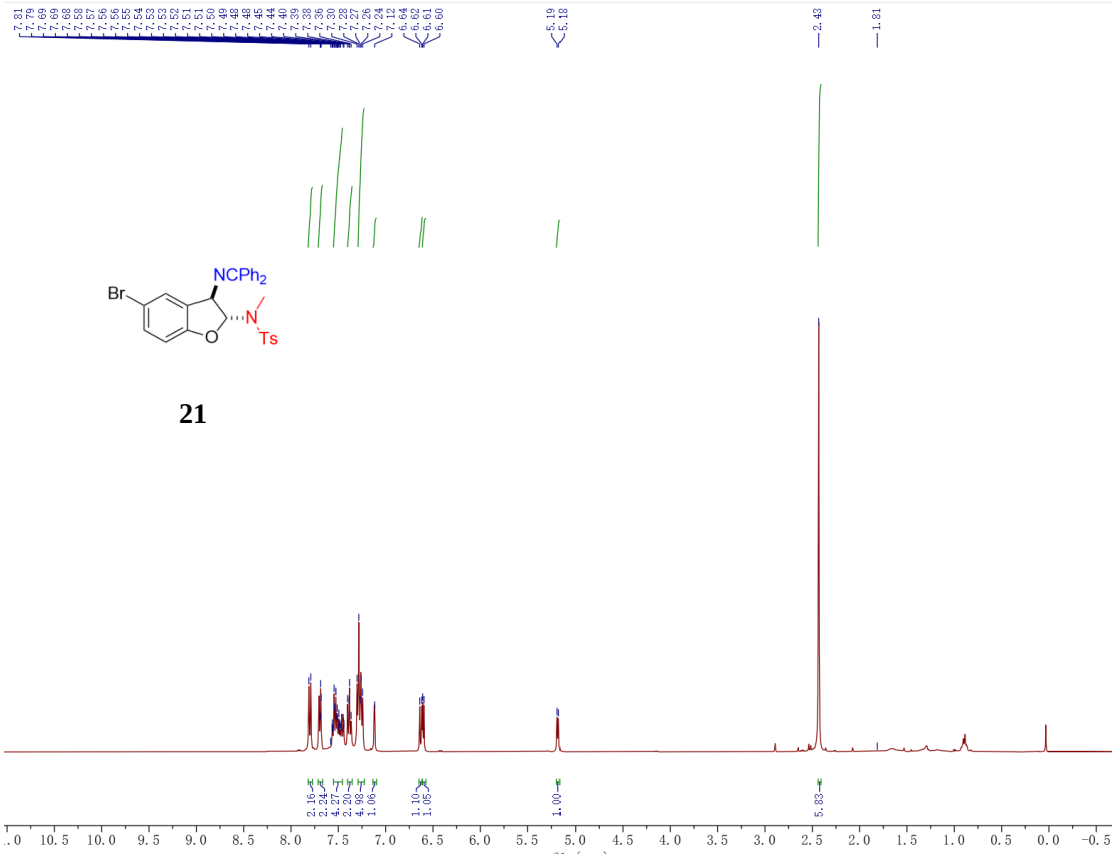
20

^{13}C NMR (101 MHz, $CDCl_3$)



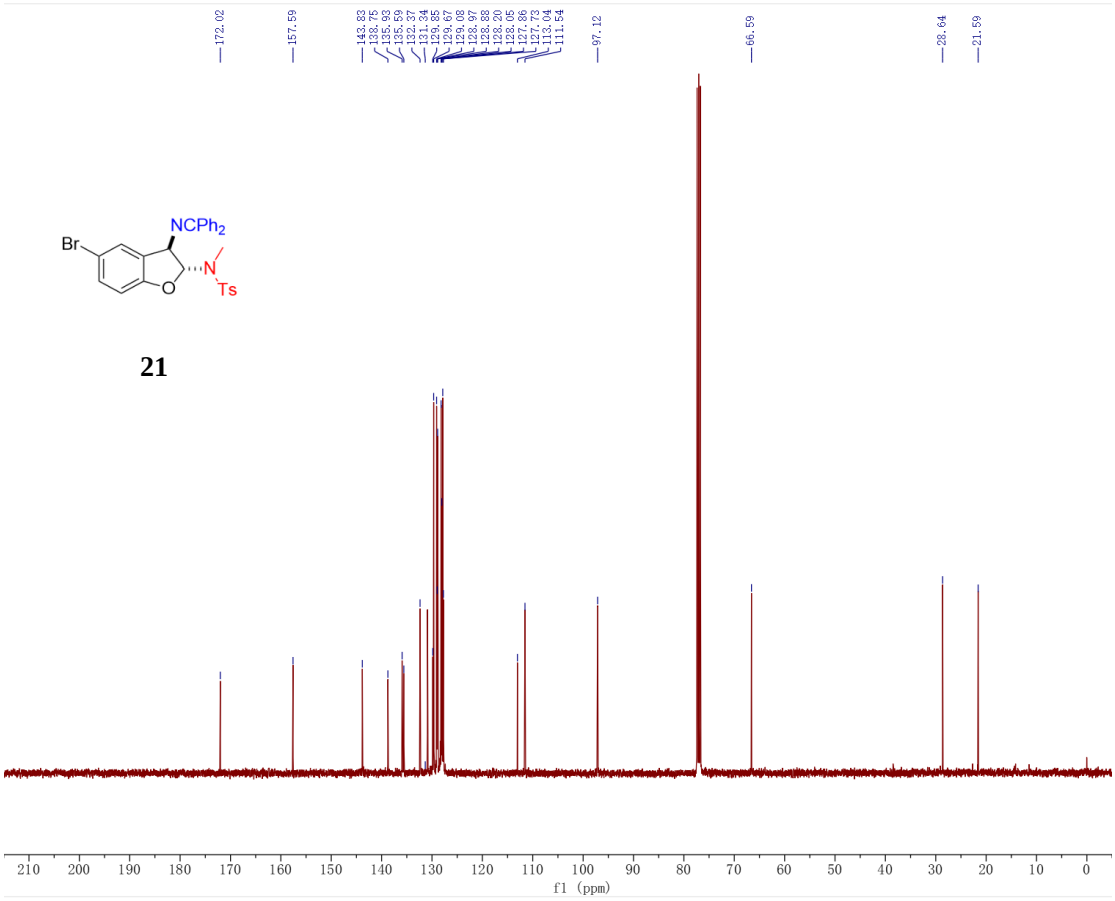
20

^{1}H NMR (400 MHz, $CDCl_3$)



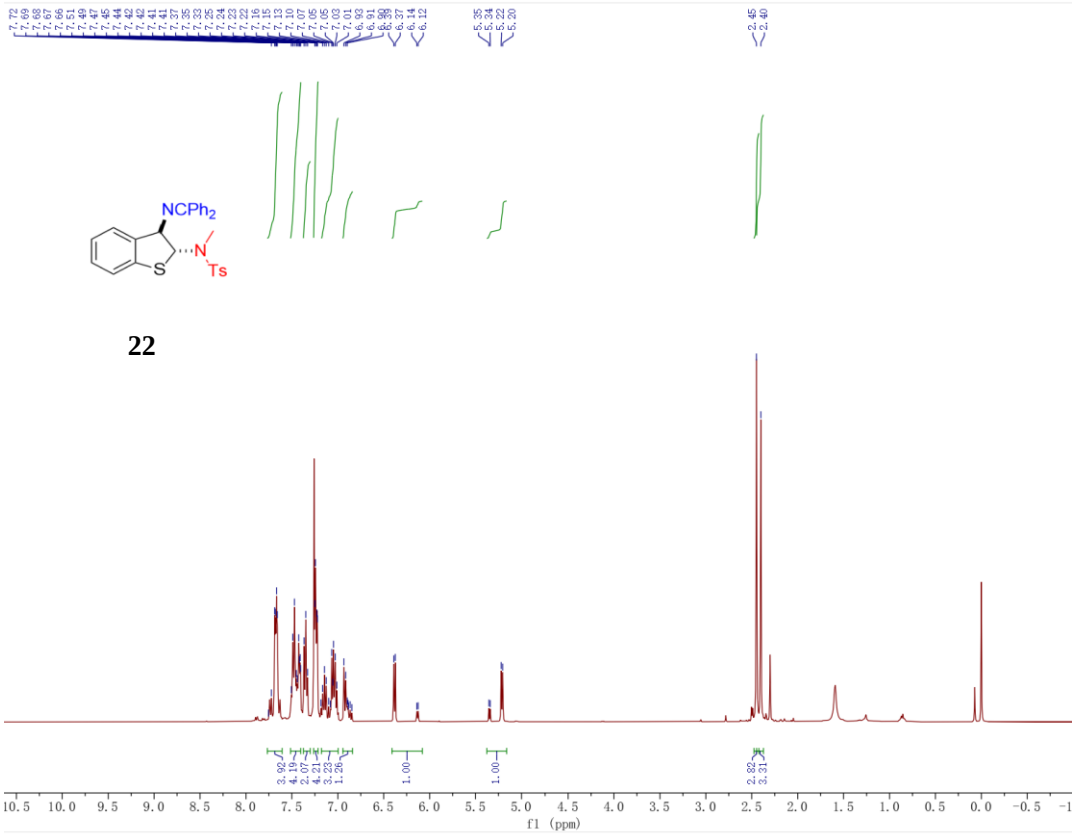
21

^{13}C NMR (101 MHz, $CDCl_3$)



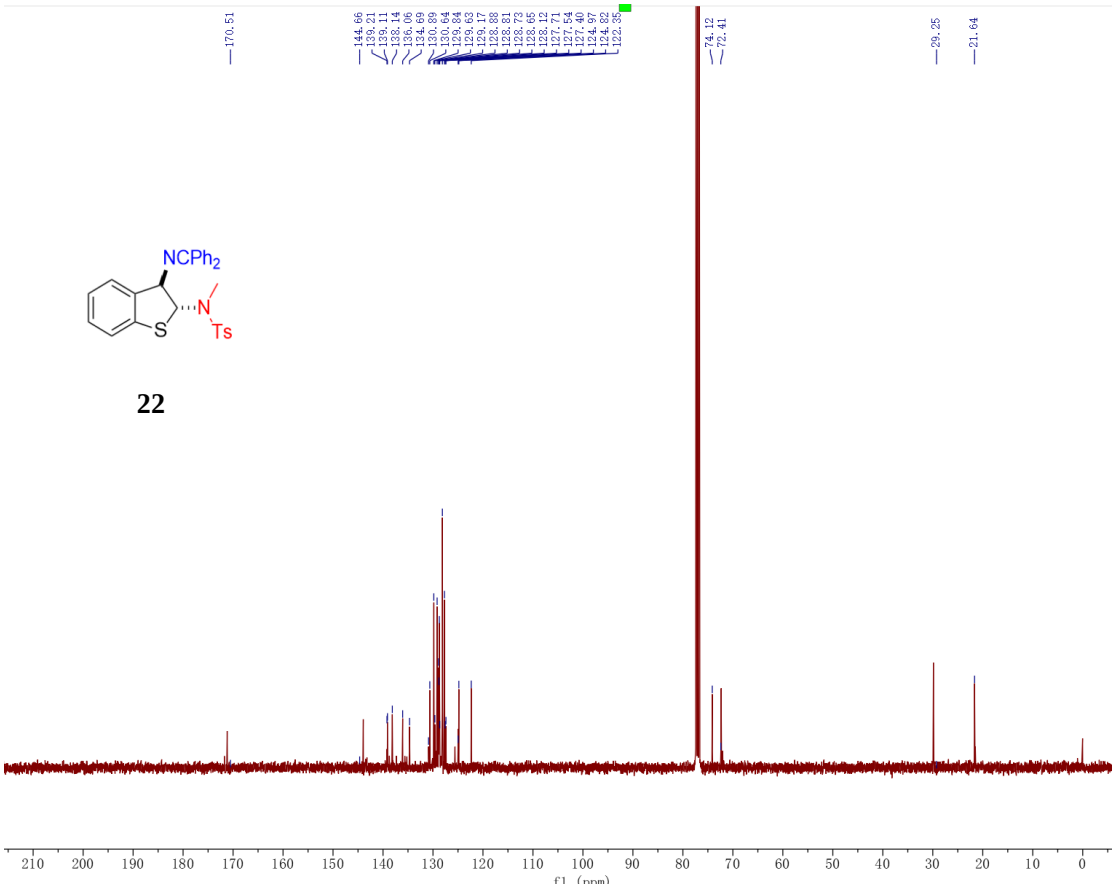
21

^{1}H NMR (400 MHz, $CDCl_3$)



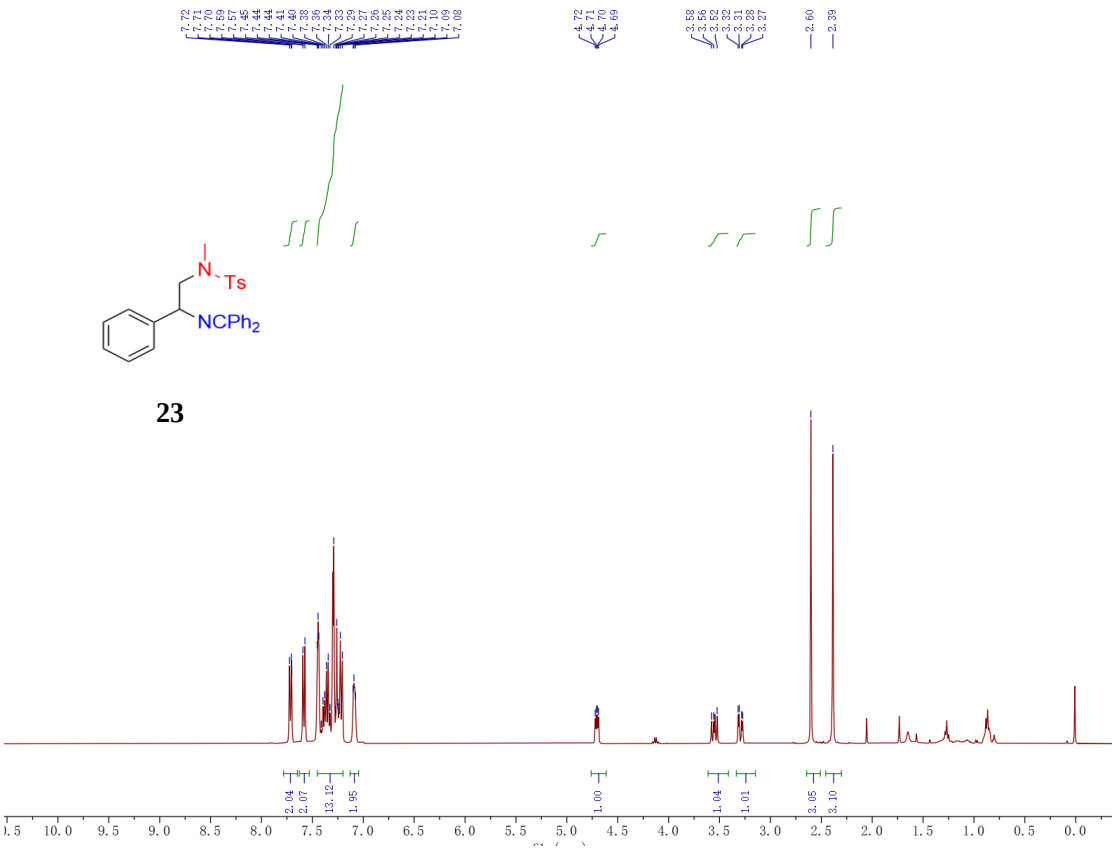
22

^{13}C NMR (101 MHz, $CDCl_3$)



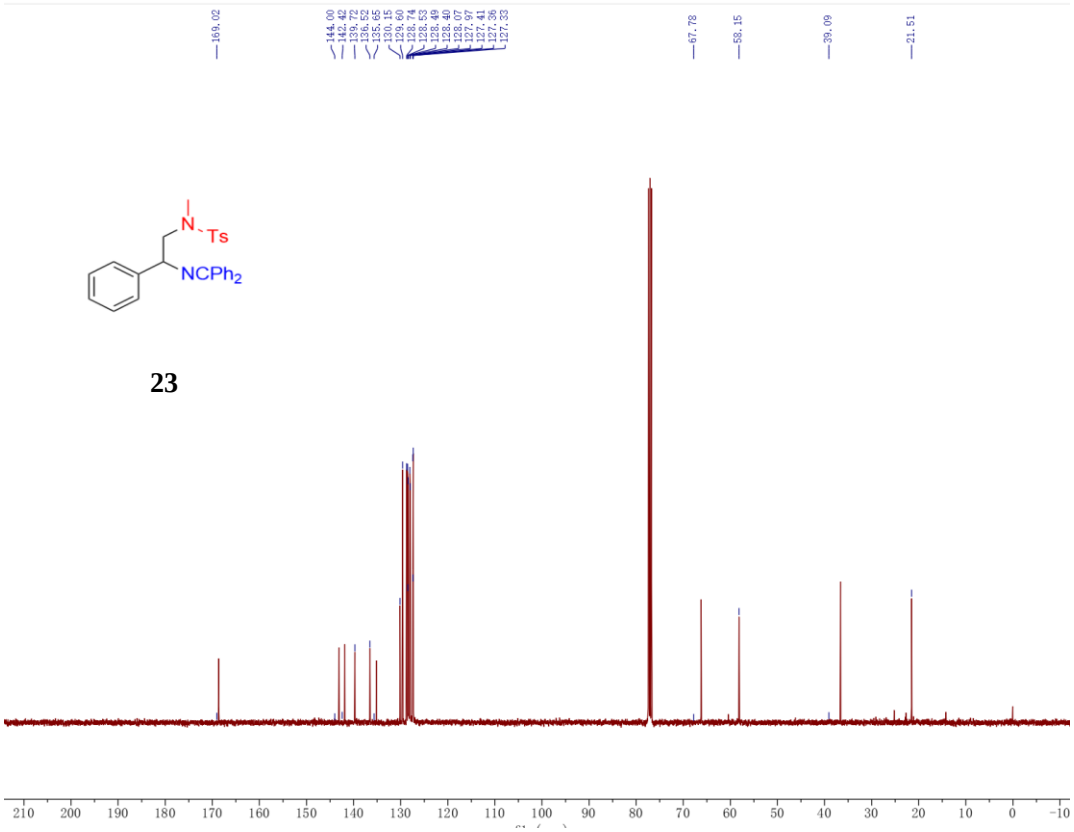
22

^{1}H NMR (400 MHz, $CDCl_3$)



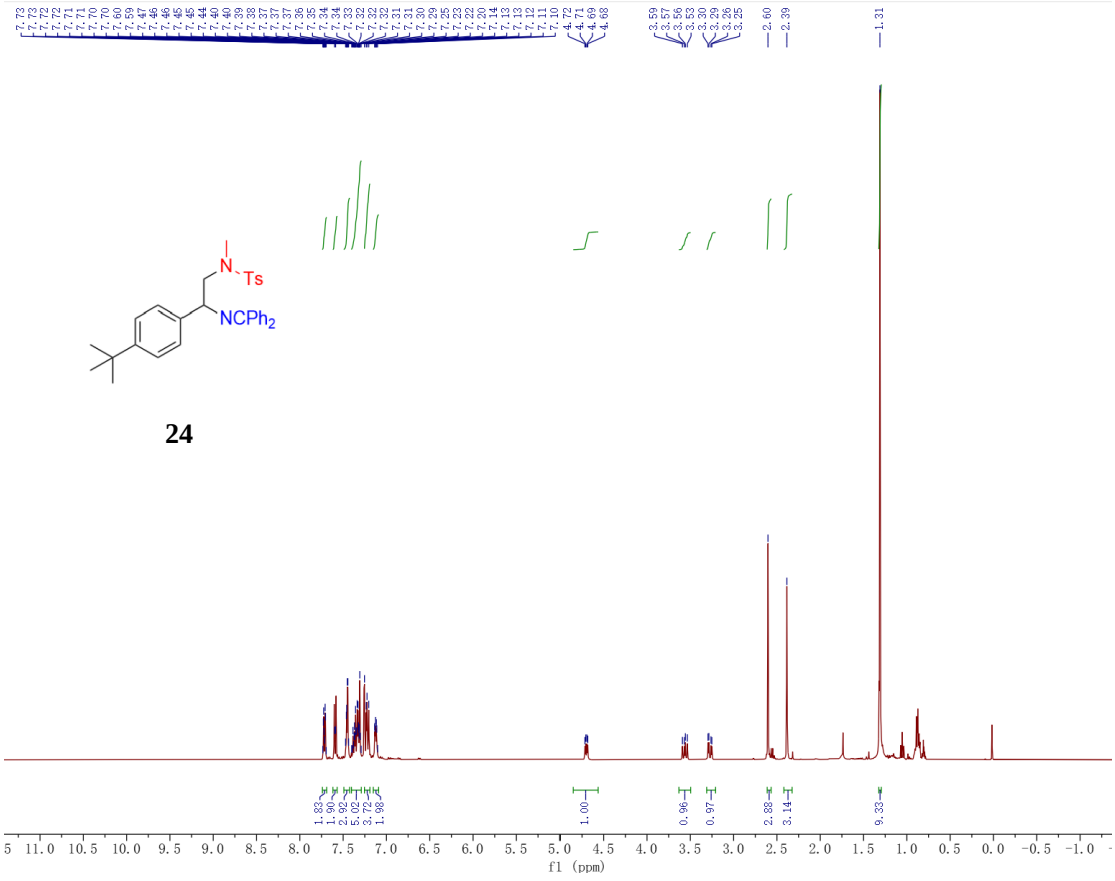
23

^{13}C NMR (101 MHz, $CDCl_3$)



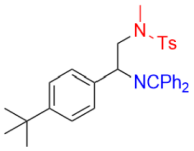
23

^{1}H NMR (400 MHz, $CDCl_3$)

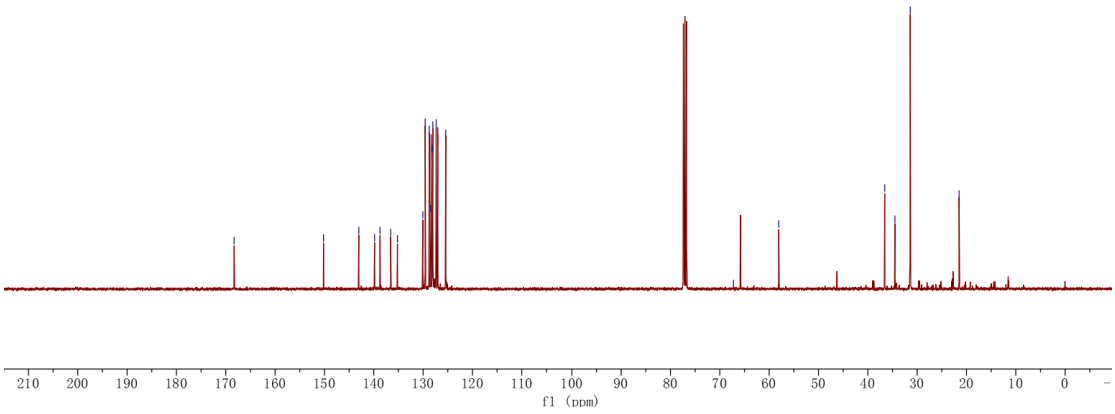


24

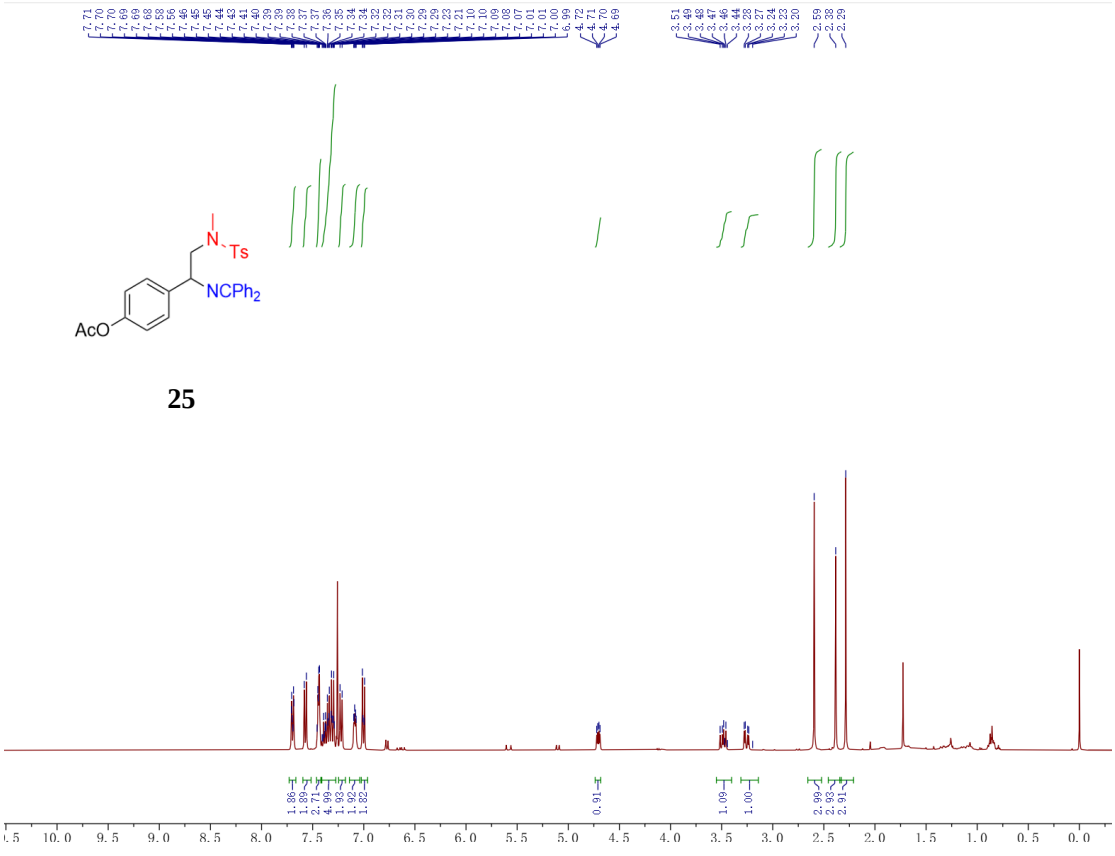
^{13}C NMR (101 MHz, $CDCl_3$)



24

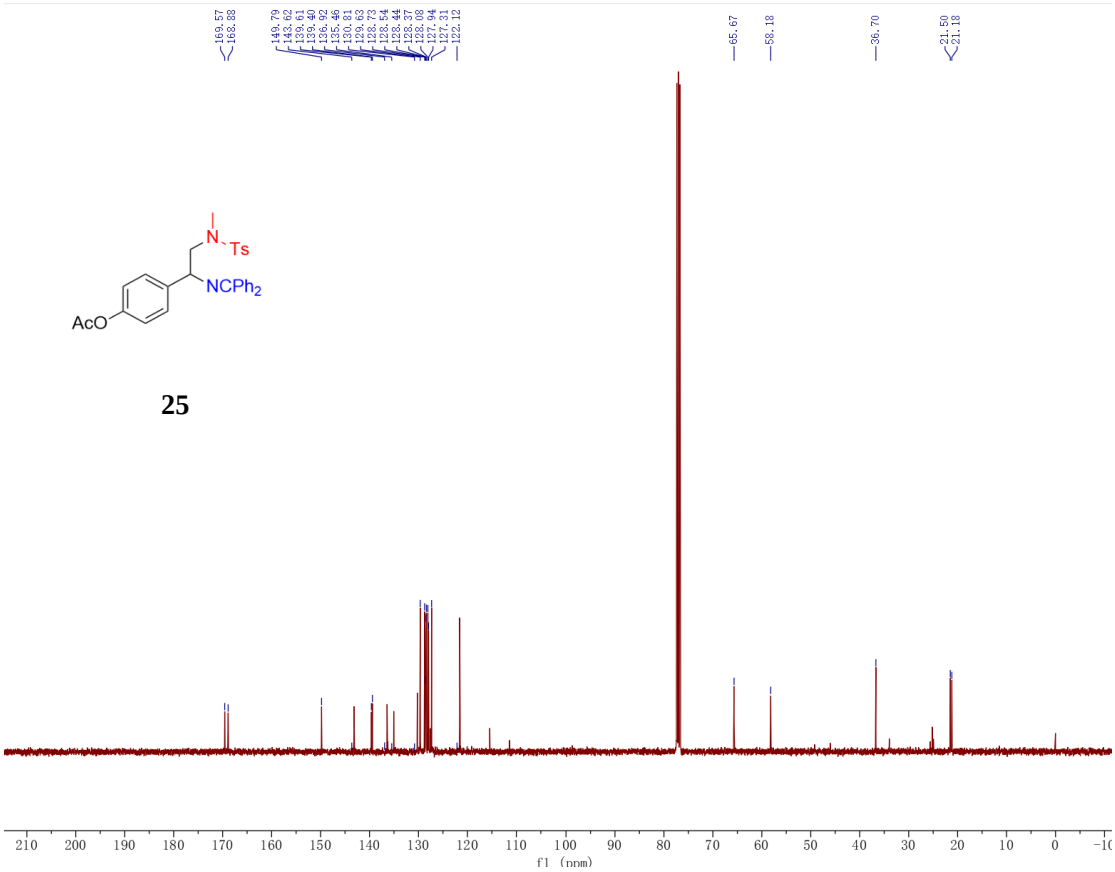


^{1}H NMR (400 MHz, $CDCl_3$)



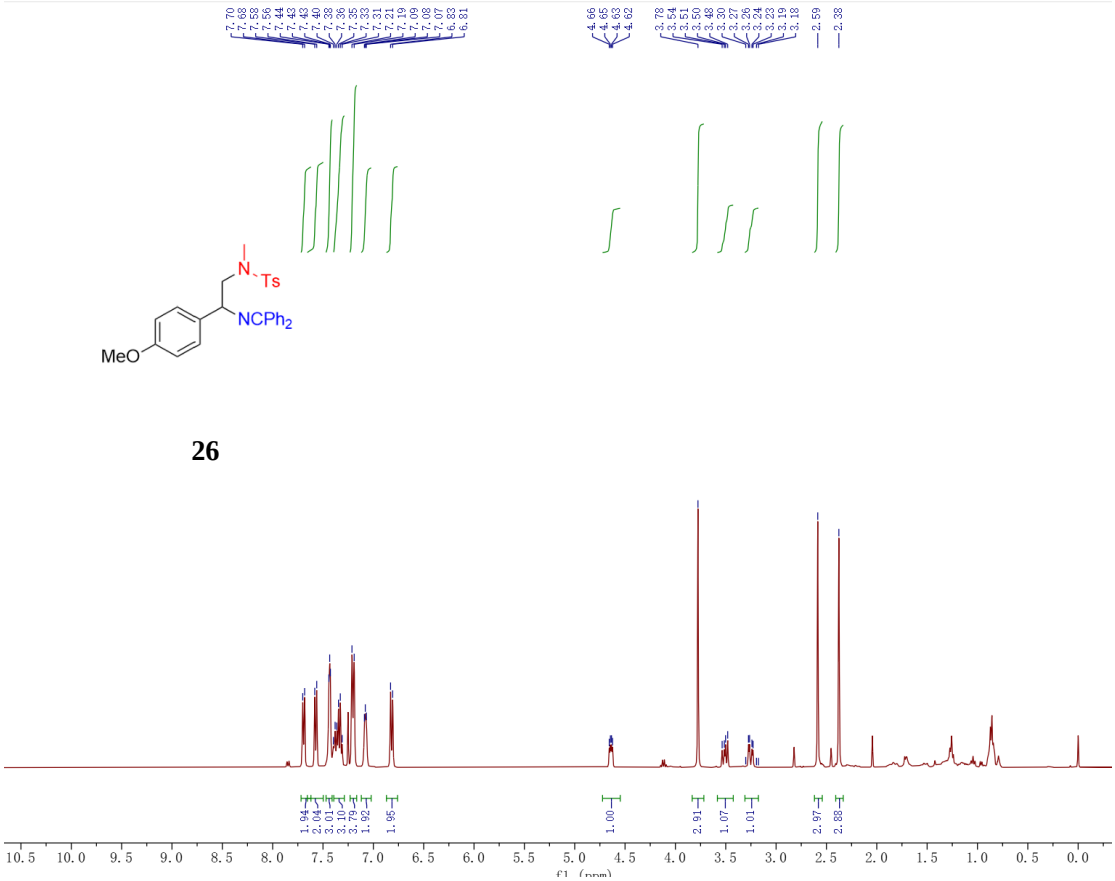
25

^{13}C NMR (101 MHz, $CDCl_3$)



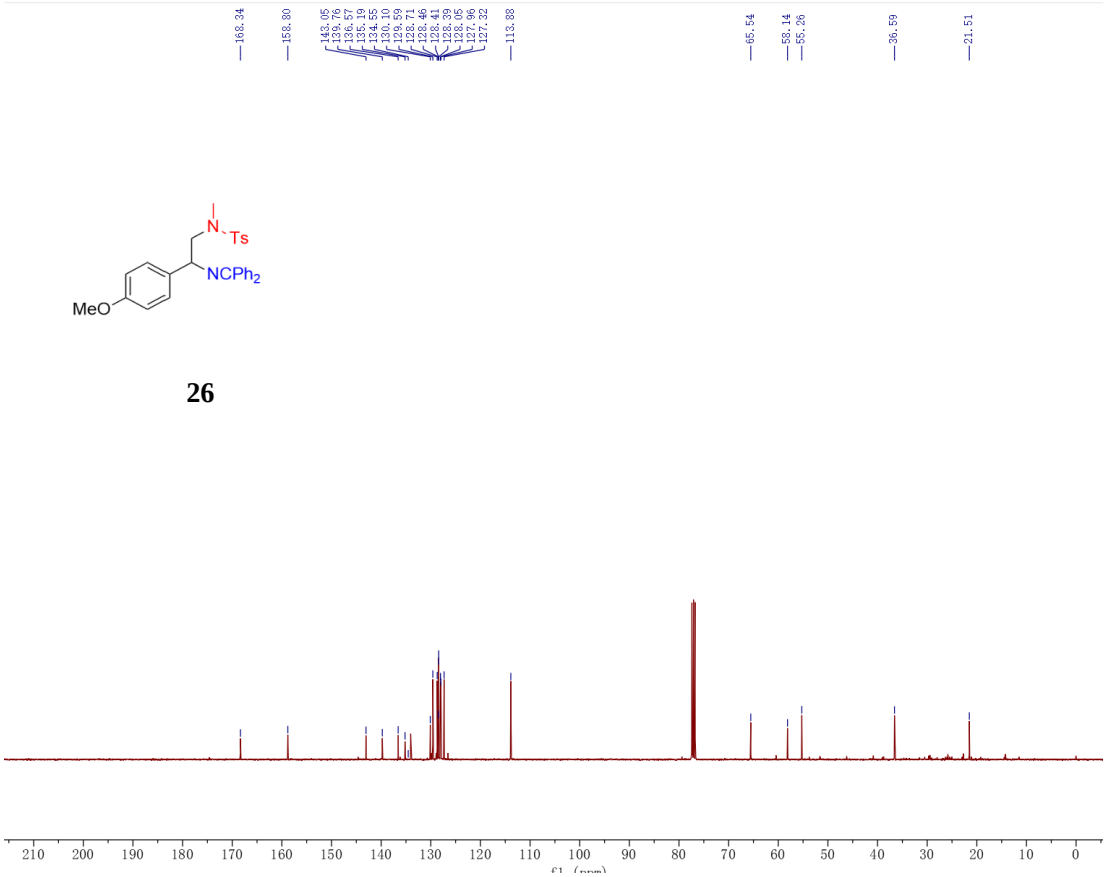
25

^{1}H NMR (400 MHz, $CDCl_3$)



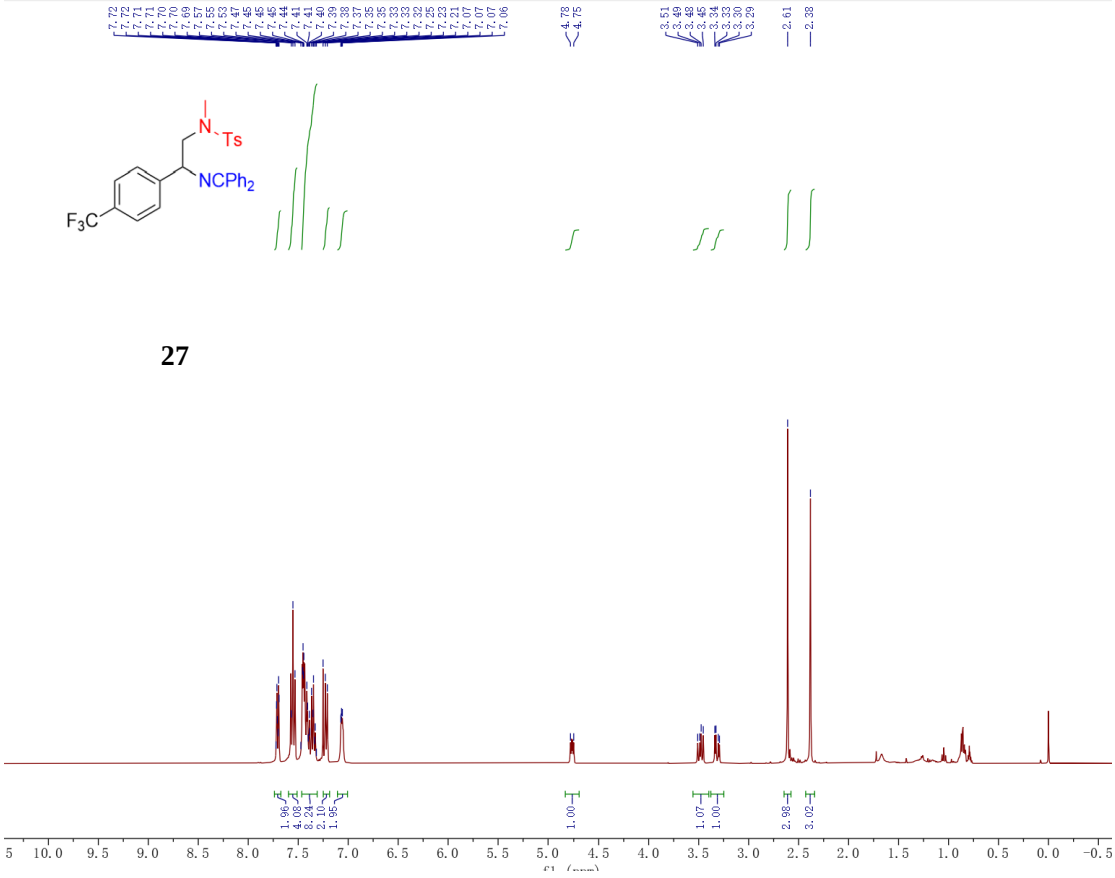
26

^{13}C NMR (101 MHz, $CDCl_3$)



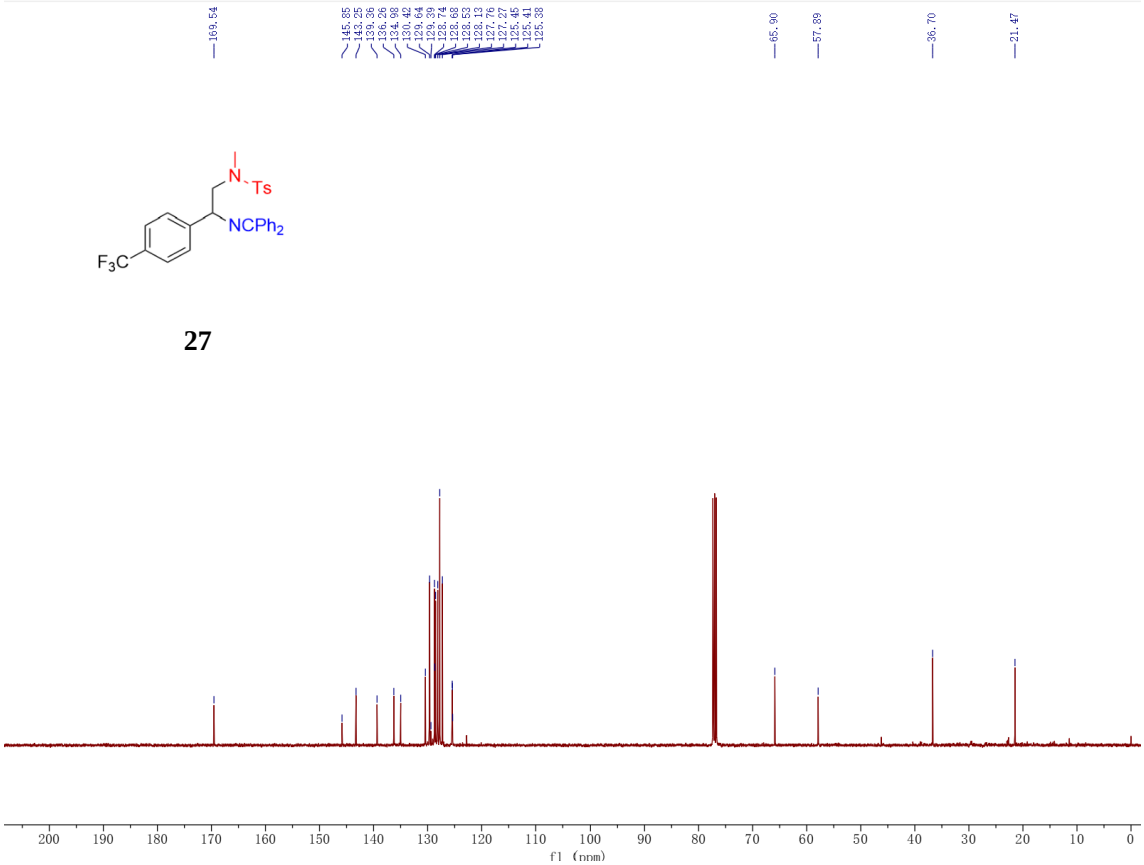
26

^{1}H NMR (400 MHz, $CDCl_3$)



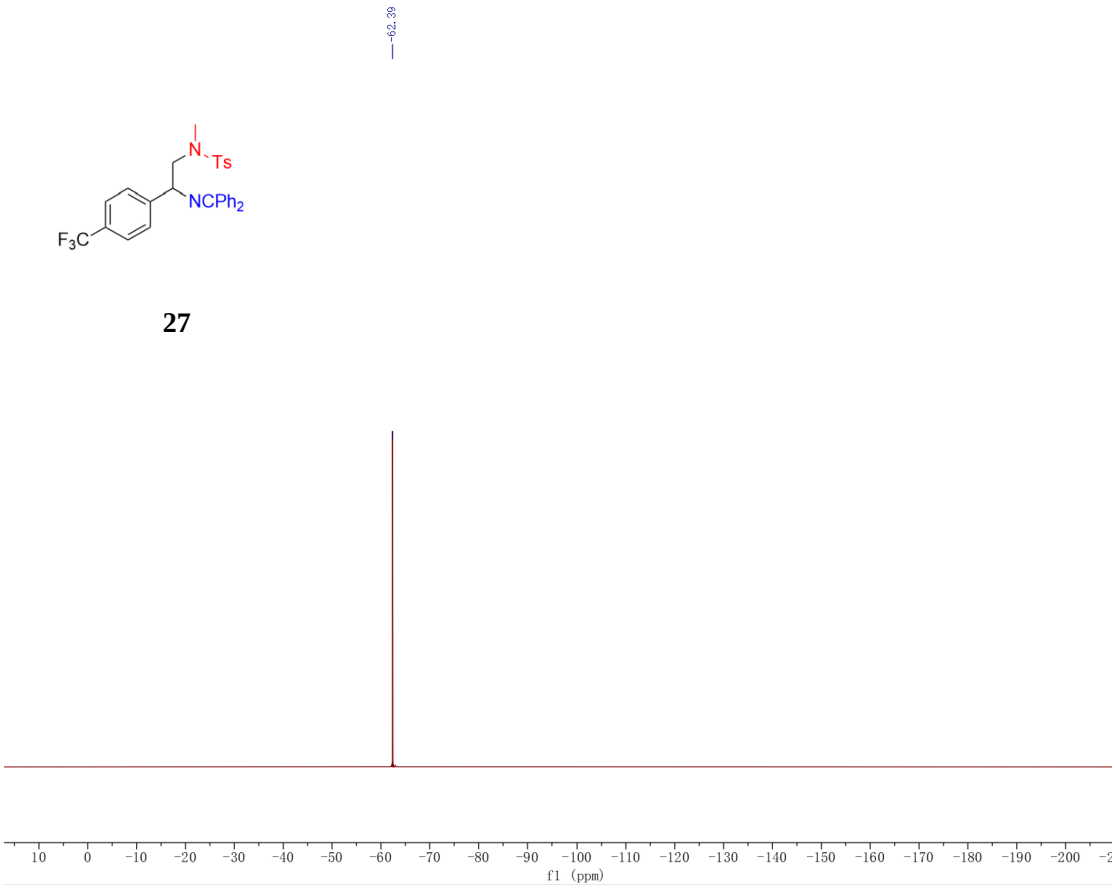
27

^{13}C NMR (101 MHz, $CDCl_3$)



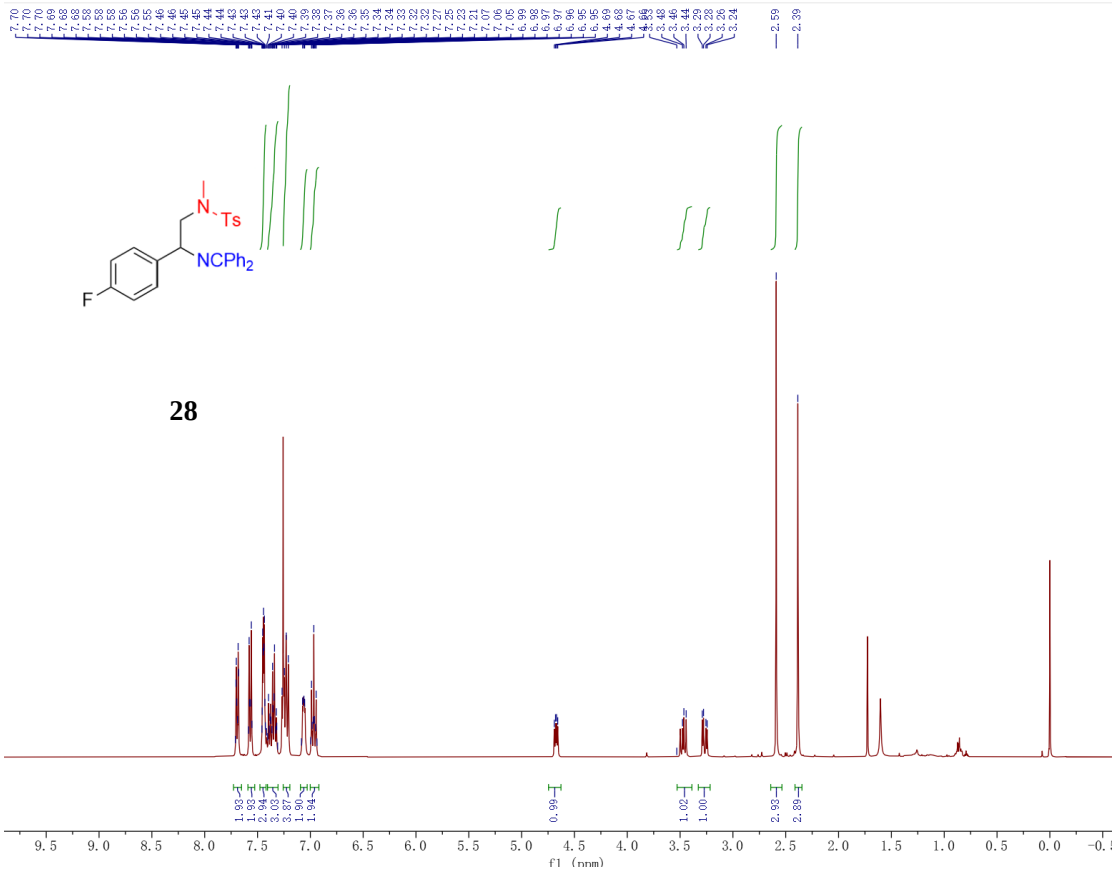
27

^{19}F NMR (337 MHz, $CDCl_3$)

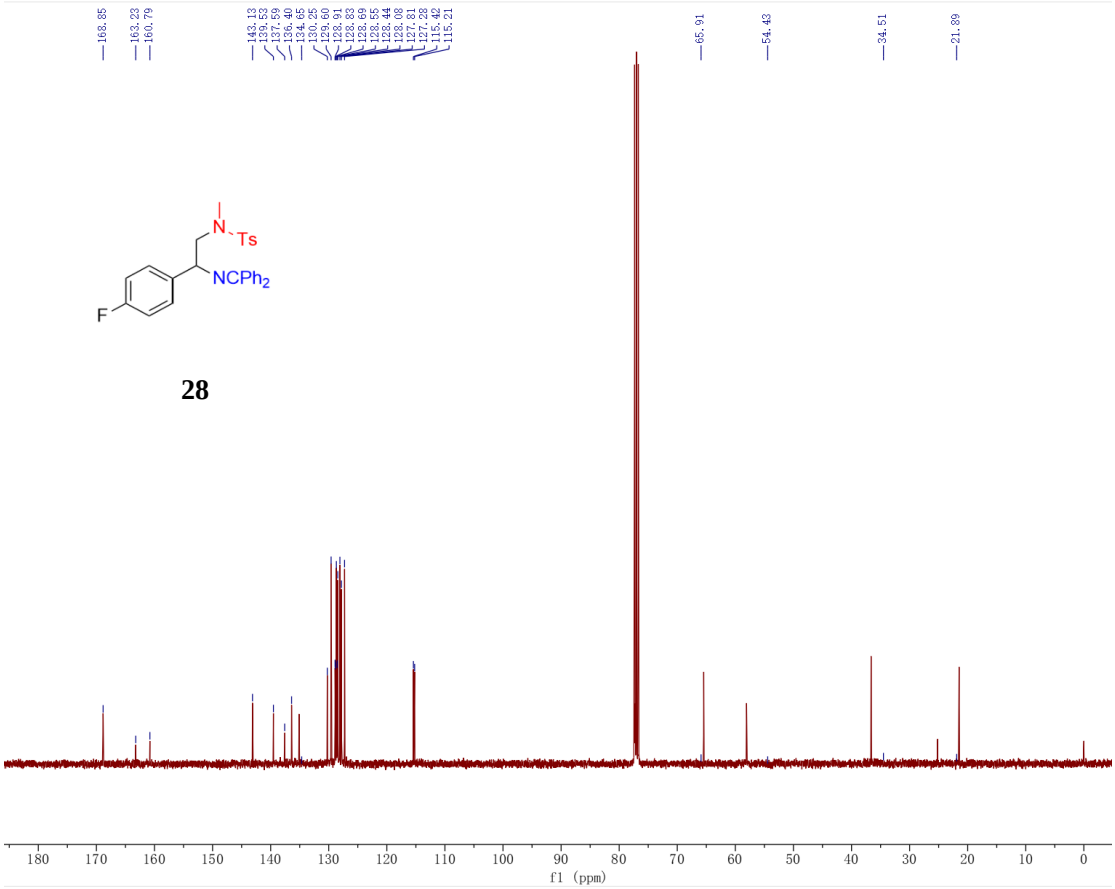


27

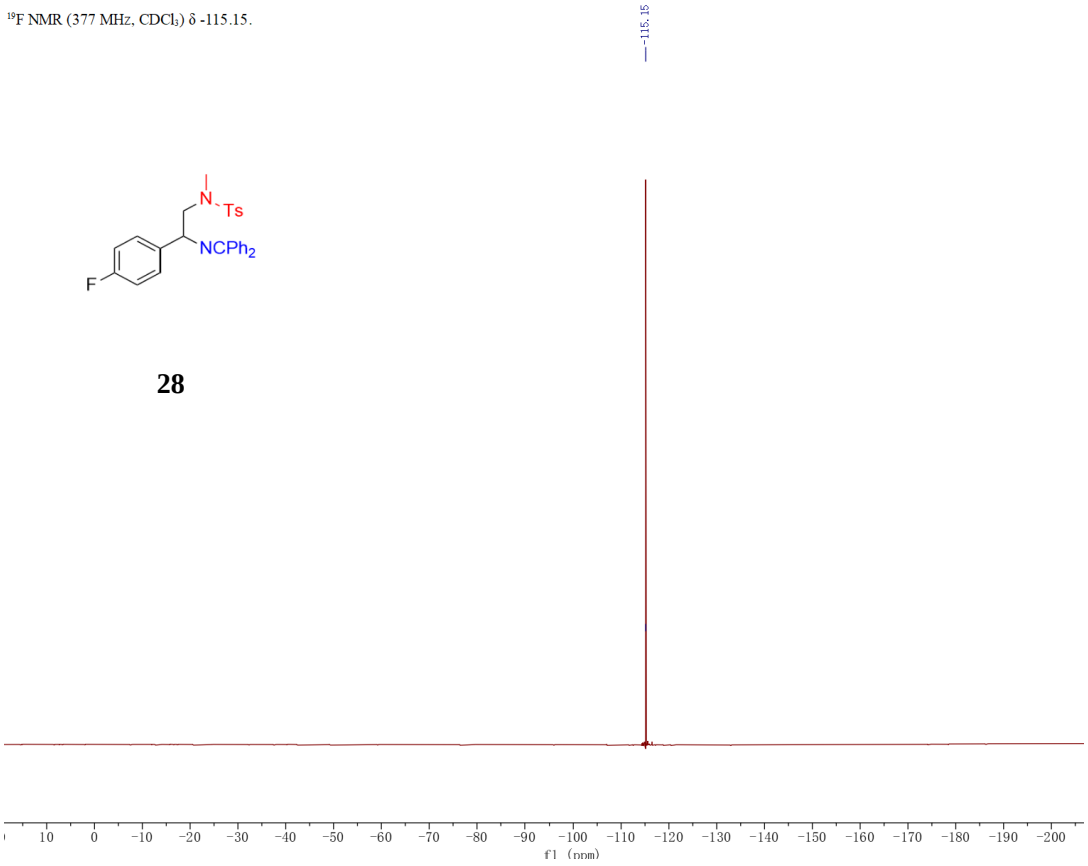
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)

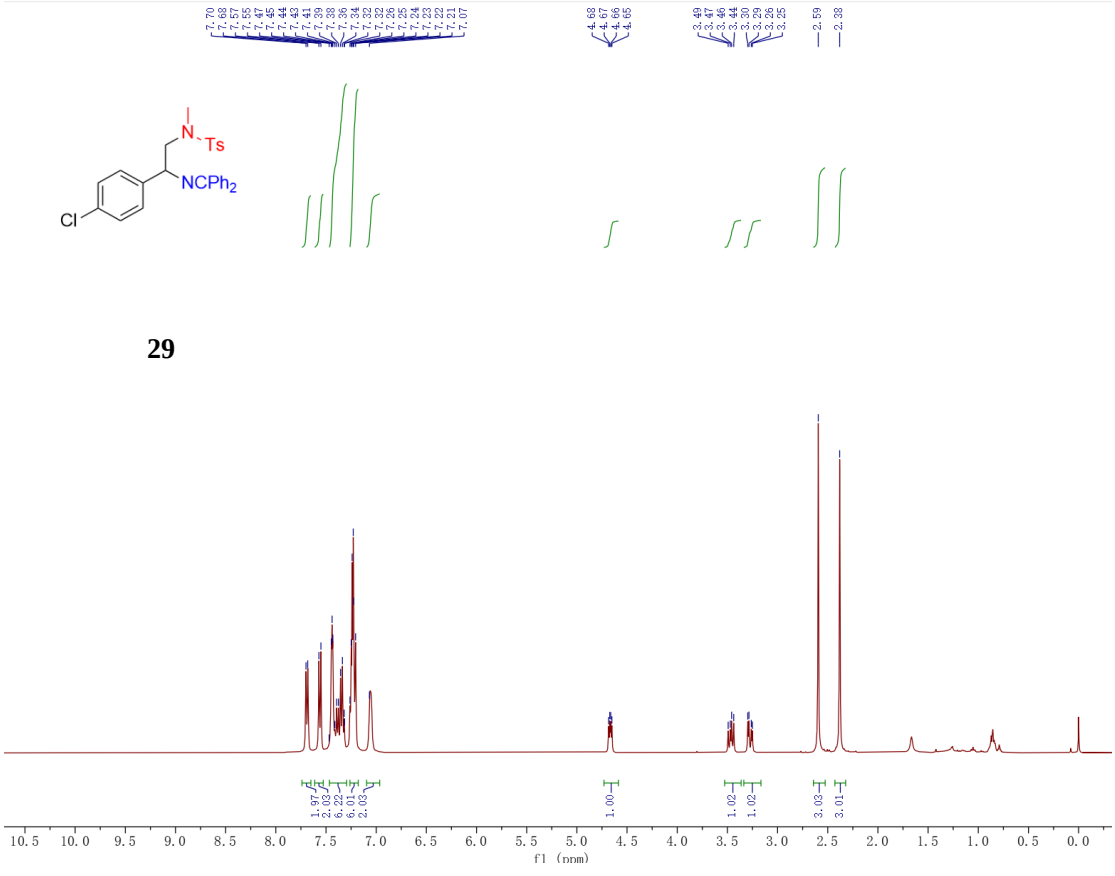


^{19}F NMR (337 MHz, $CDCl_3$)



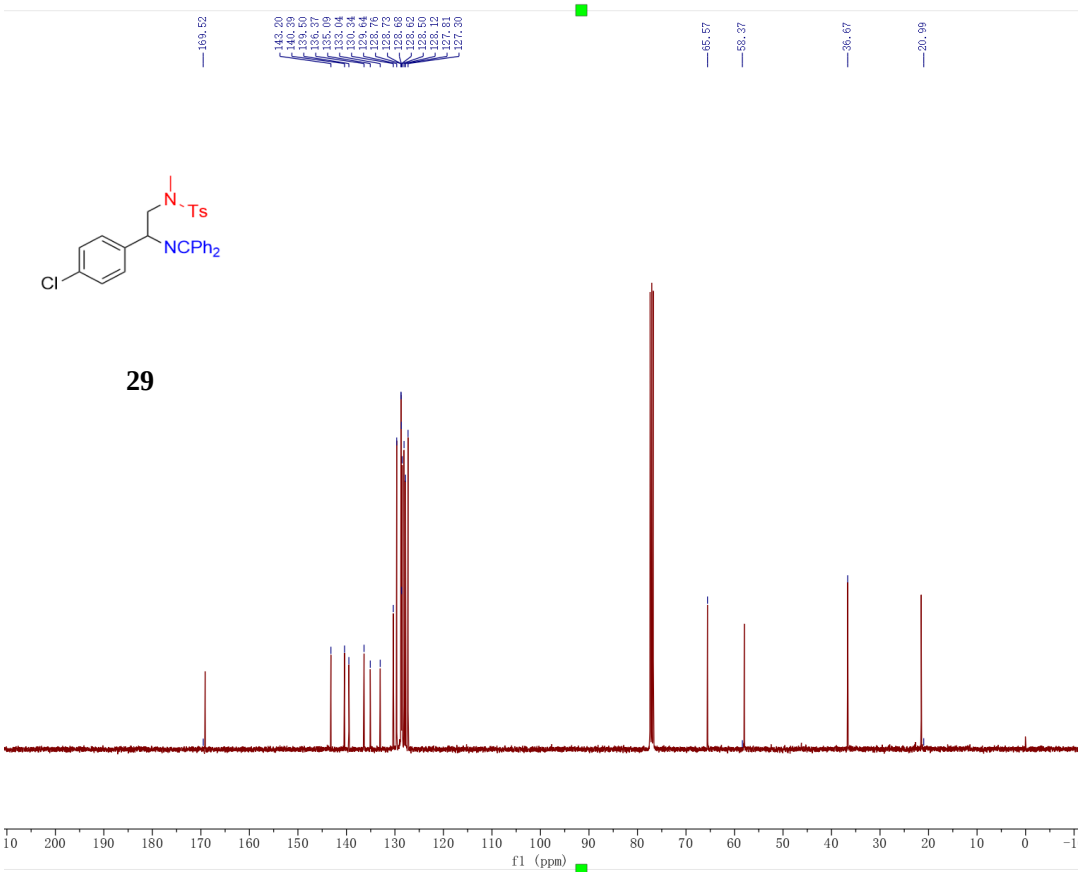
28

^{1}H NMR (400 MHz, $CDCl_3$)



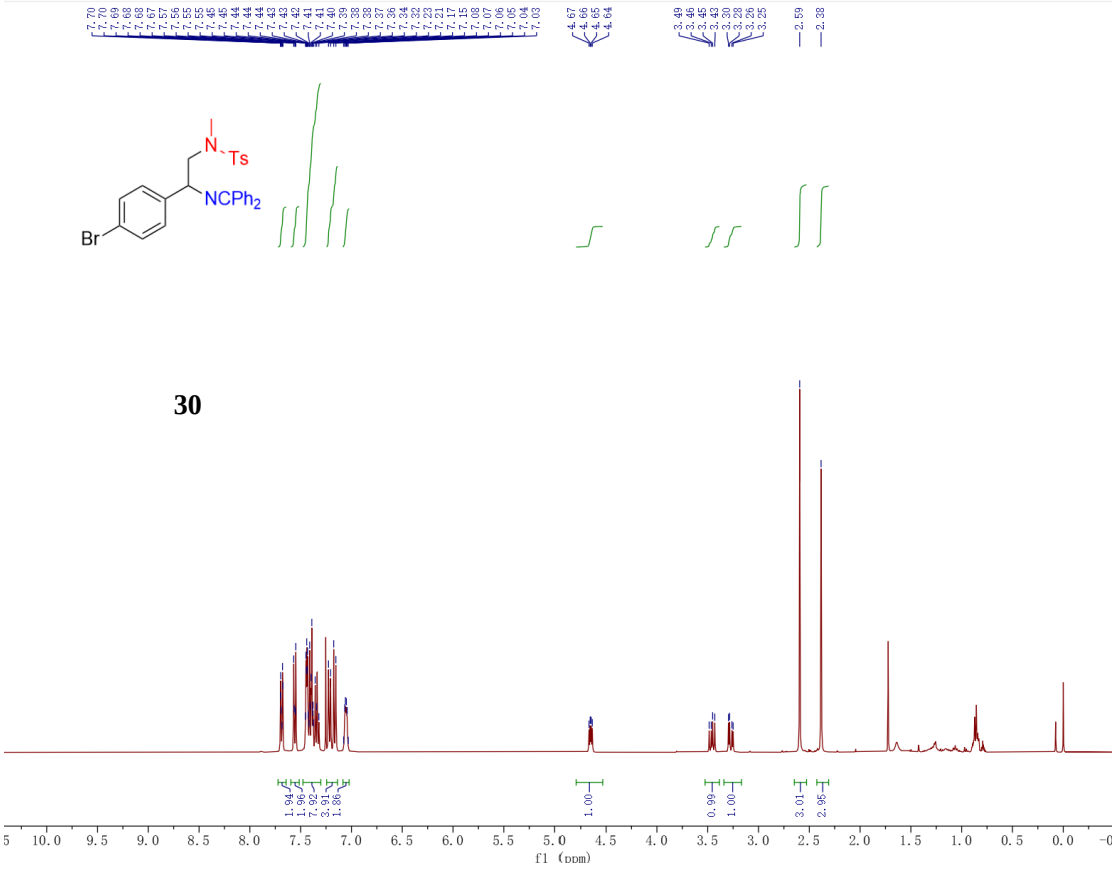
29

^{13}C NMR (101 MHz, $CDCl_3$)



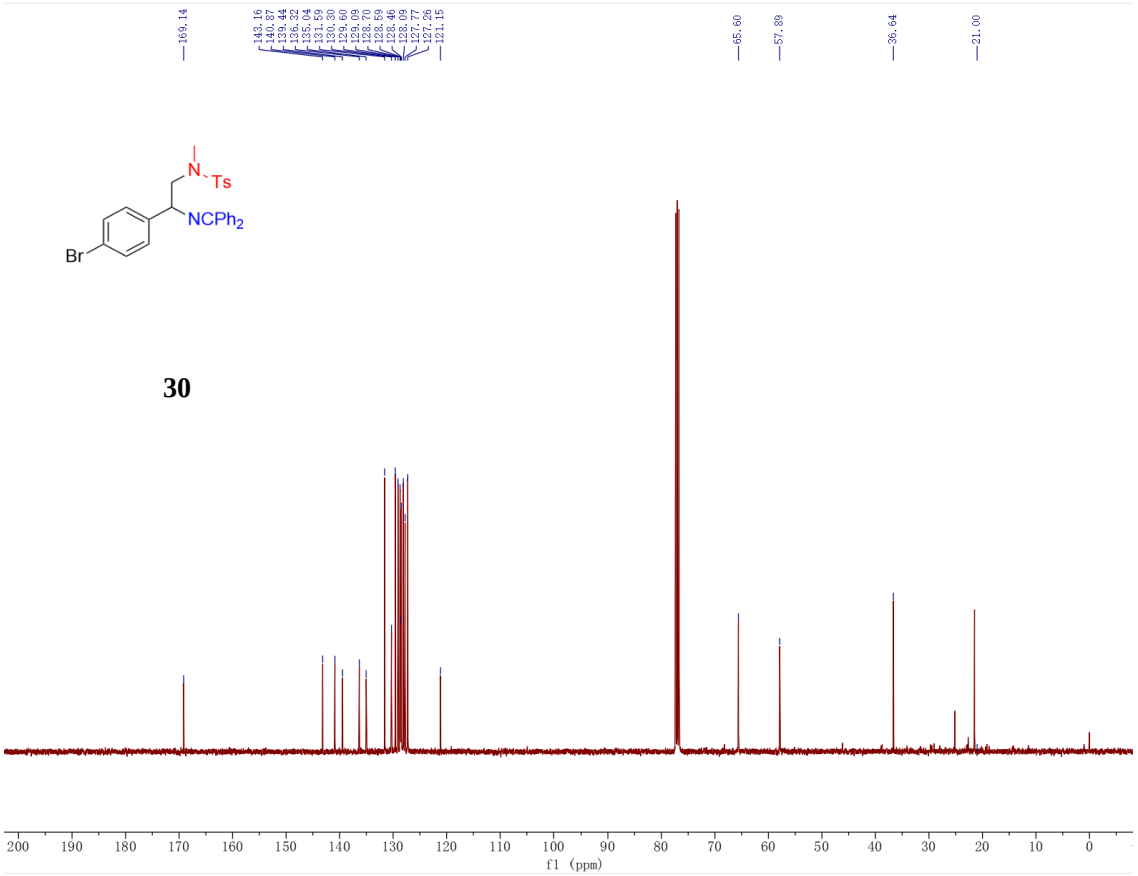
29

^{1}H NMR (400 MHz, $CDCl_3$)



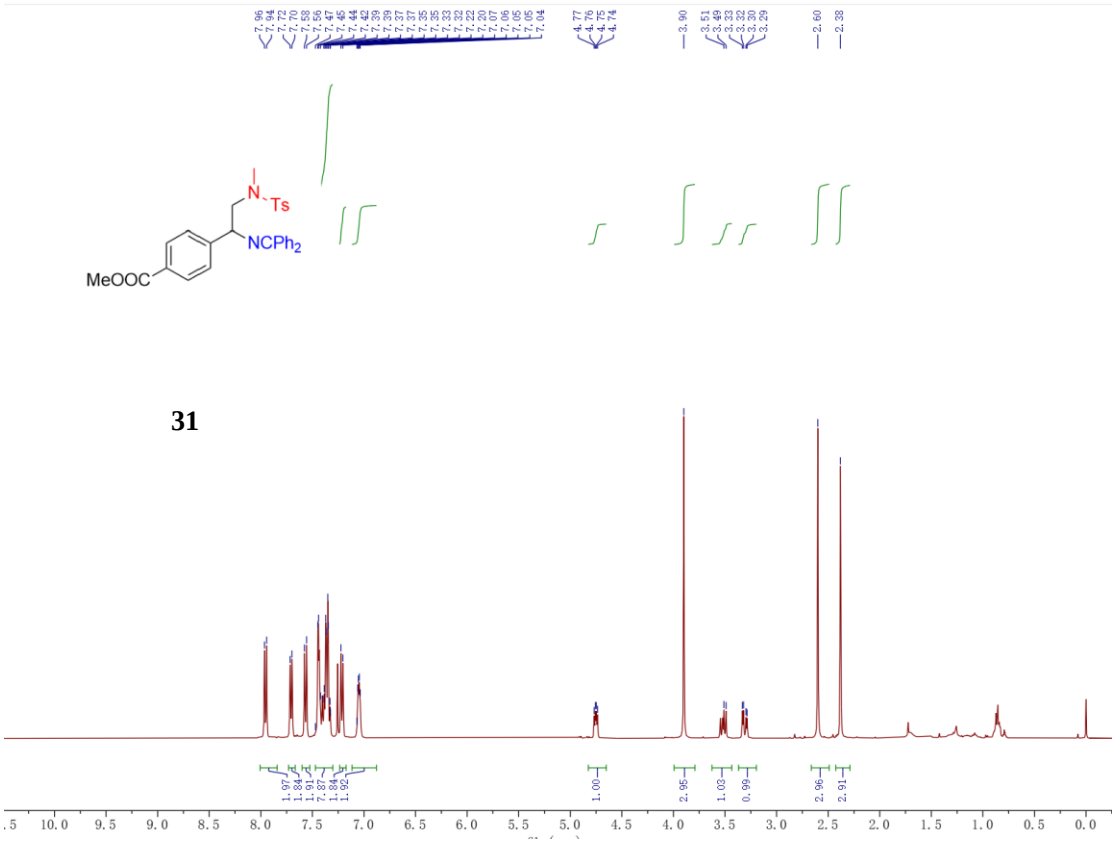
30

^{13}C NMR (101 MHz, $CDCl_3$)



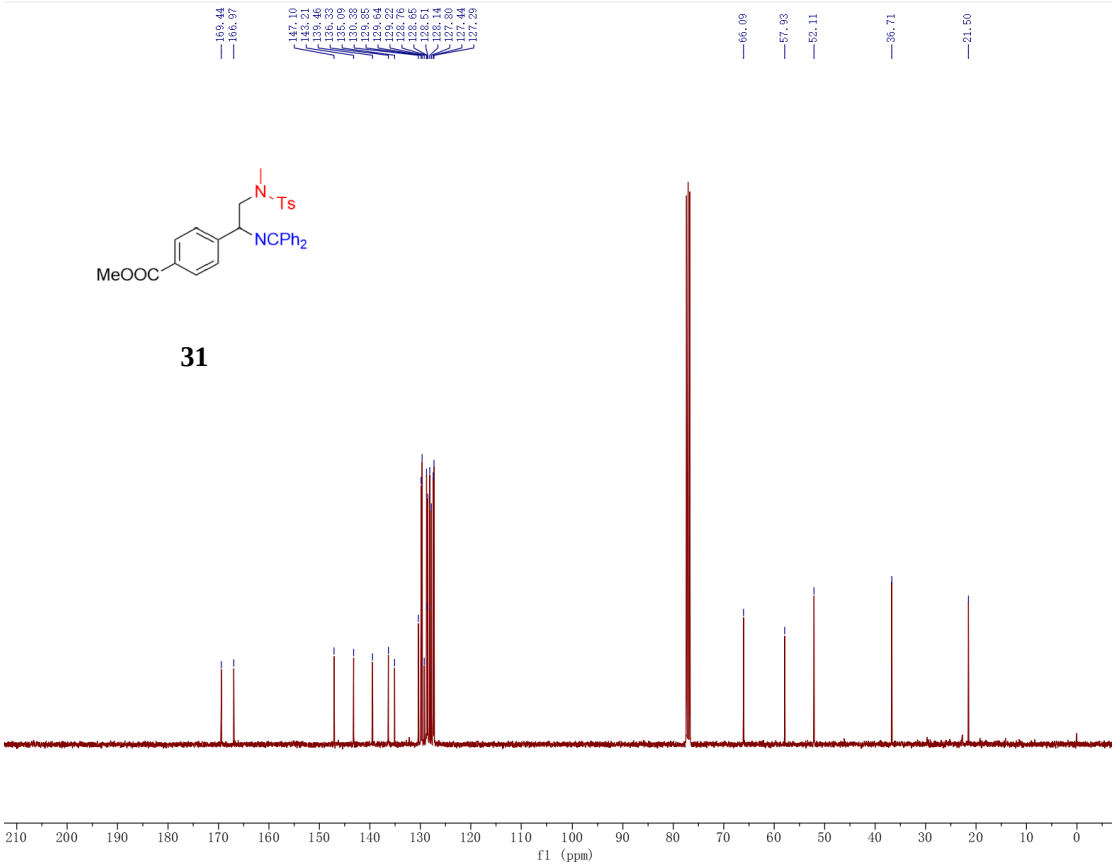
30

^{1}H NMR (400 MHz, $CDCl_3$)



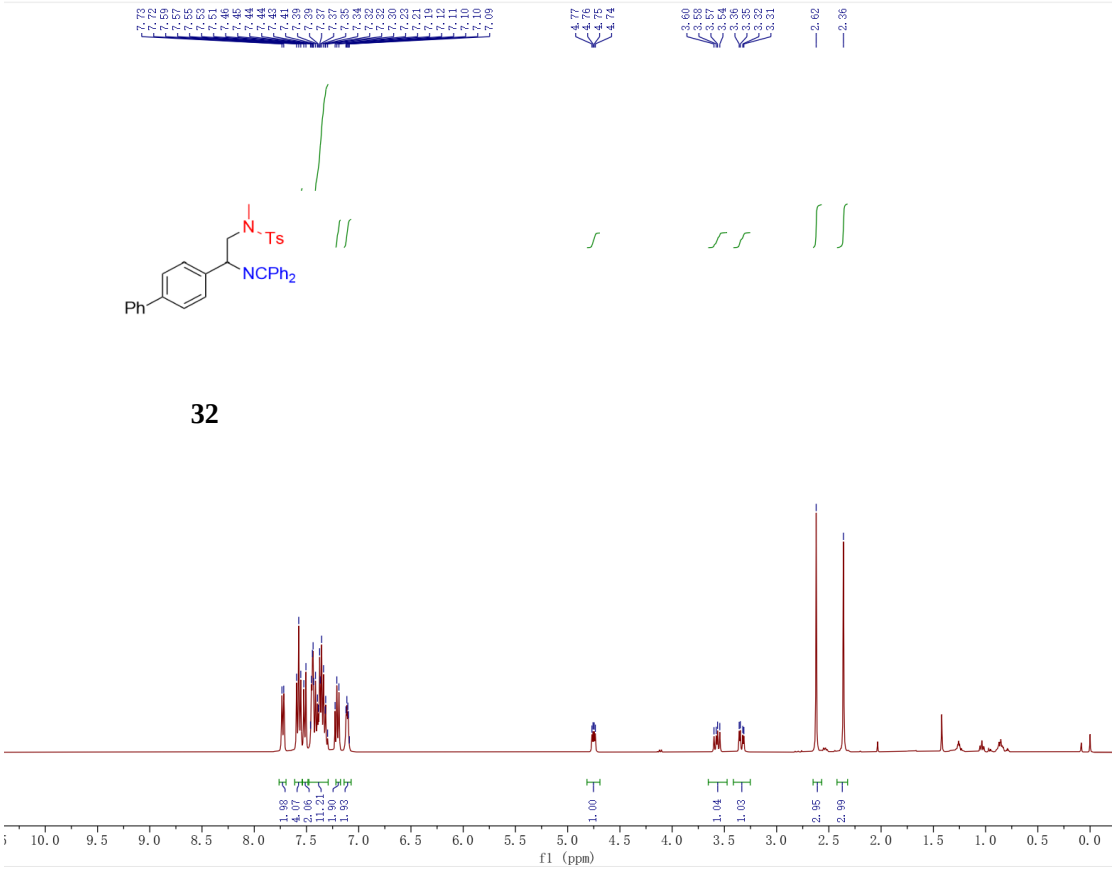
31

^{13}C NMR (101 MHz, $CDCl_3$)



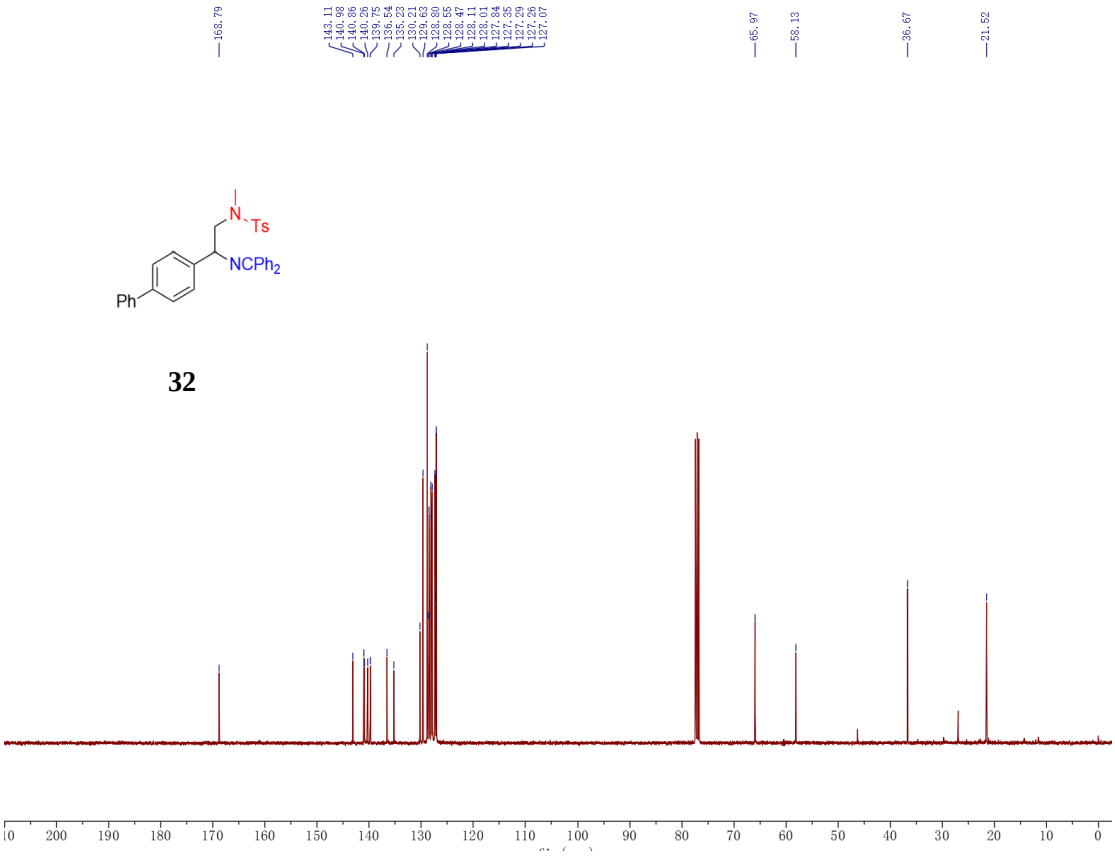
31

^{1}H NMR (400 MHz, $CDCl_3$)



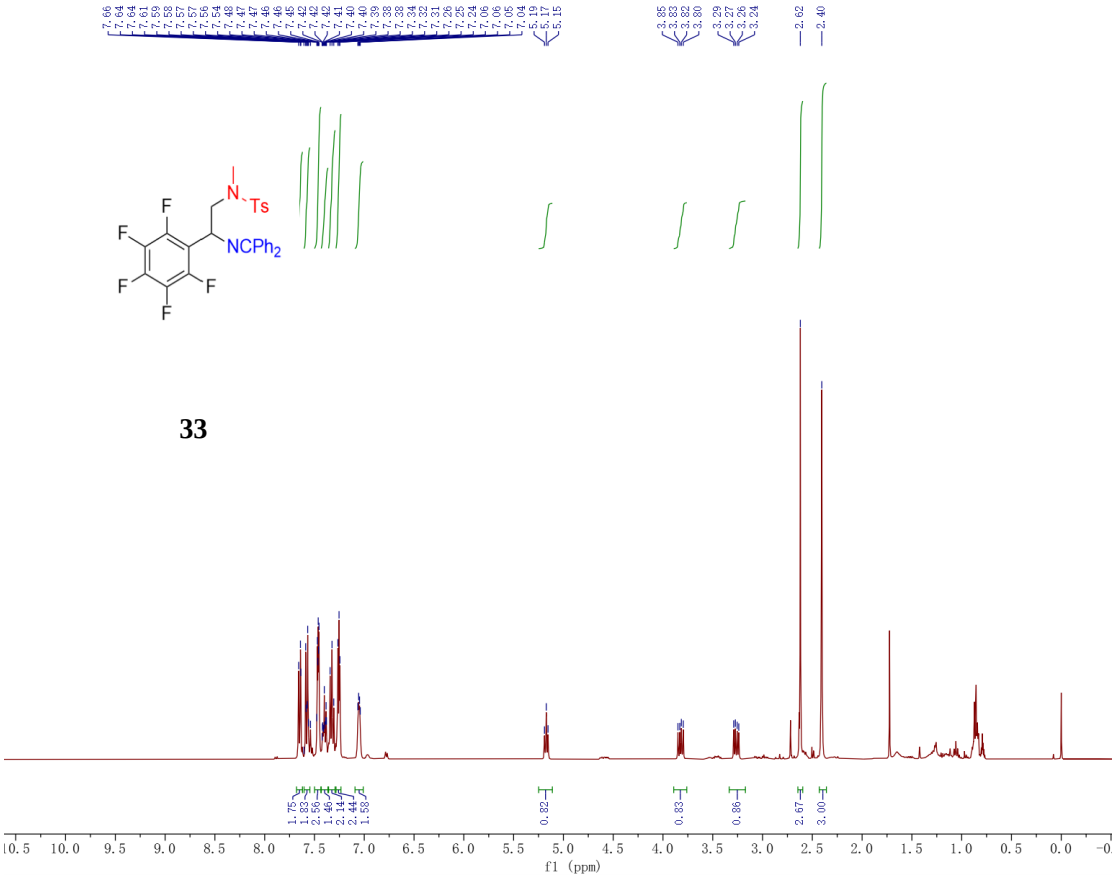
32

^{13}C NMR (101 MHz, $CDCl_3$)



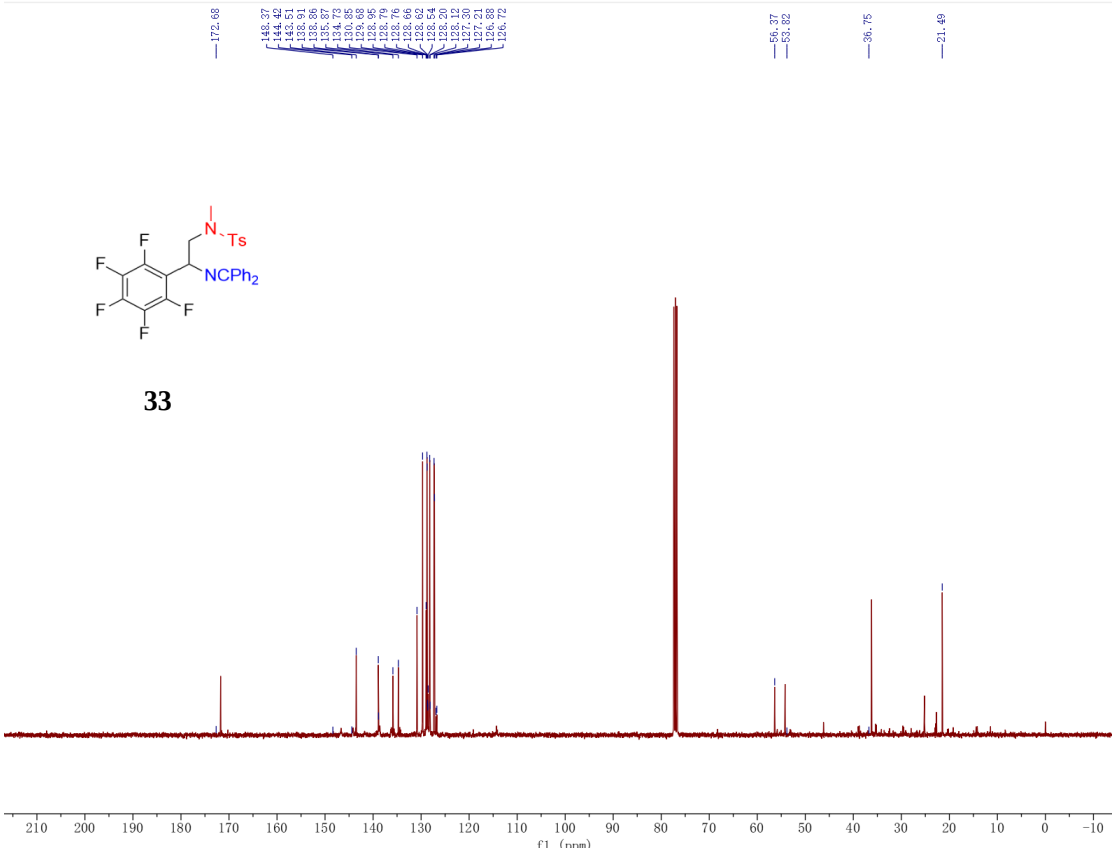
32

^{1}H NMR (400 MHz, $CDCl_3$)



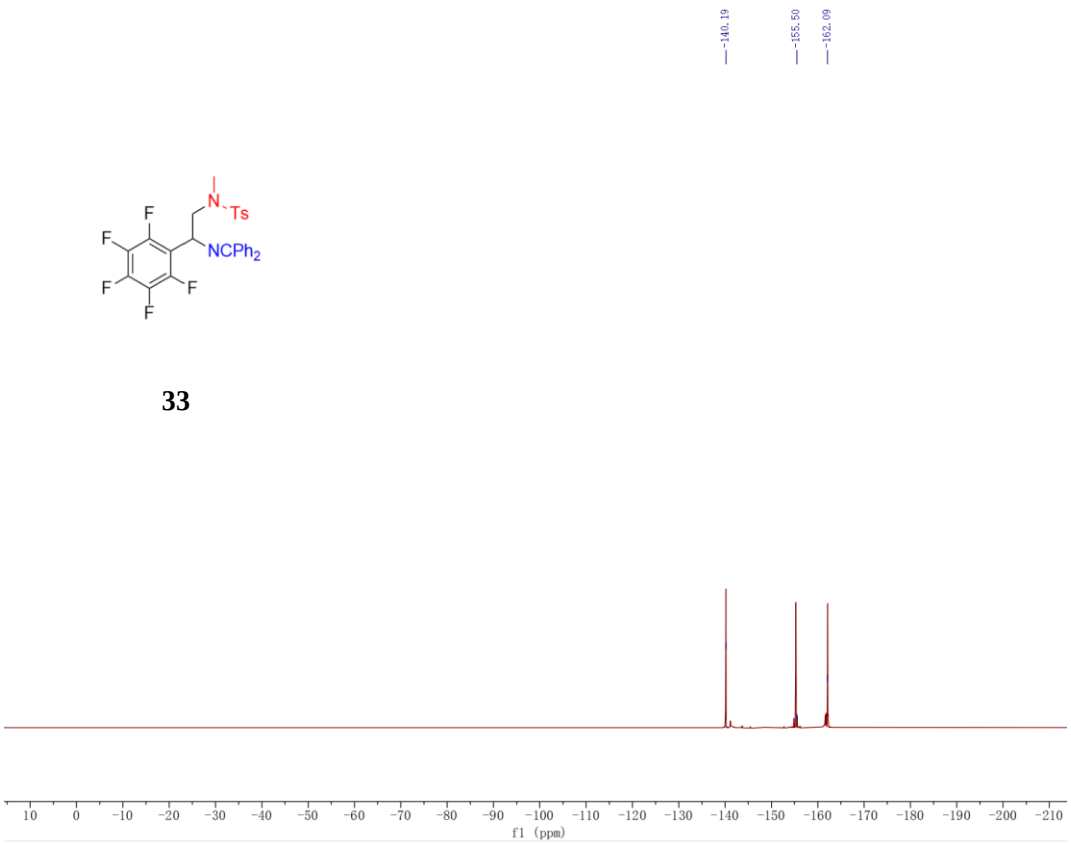
33

^{13}C NMR (101 MHz, $CDCl_3$)



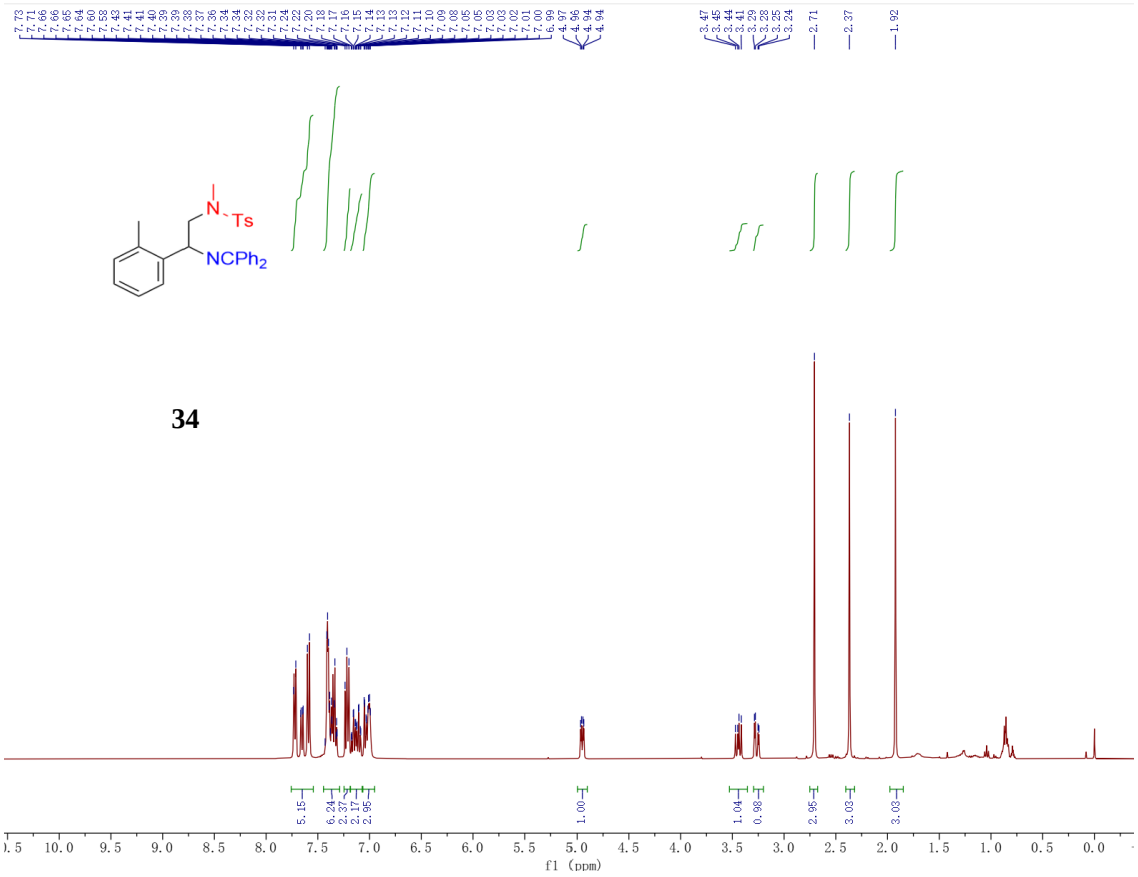
33

^{19}F NMR (337 MHz, $CDCl_3$)



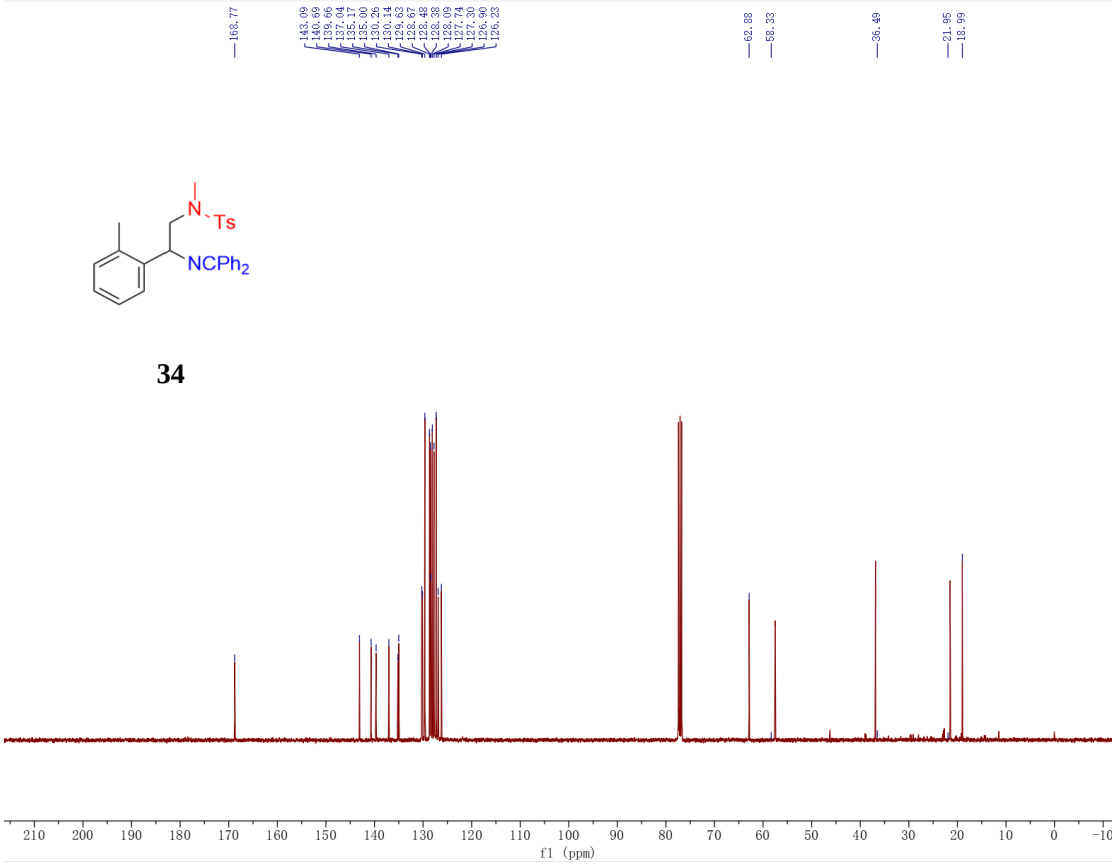
33

^{1}H NMR (400 MHz, $CDCl_3$)



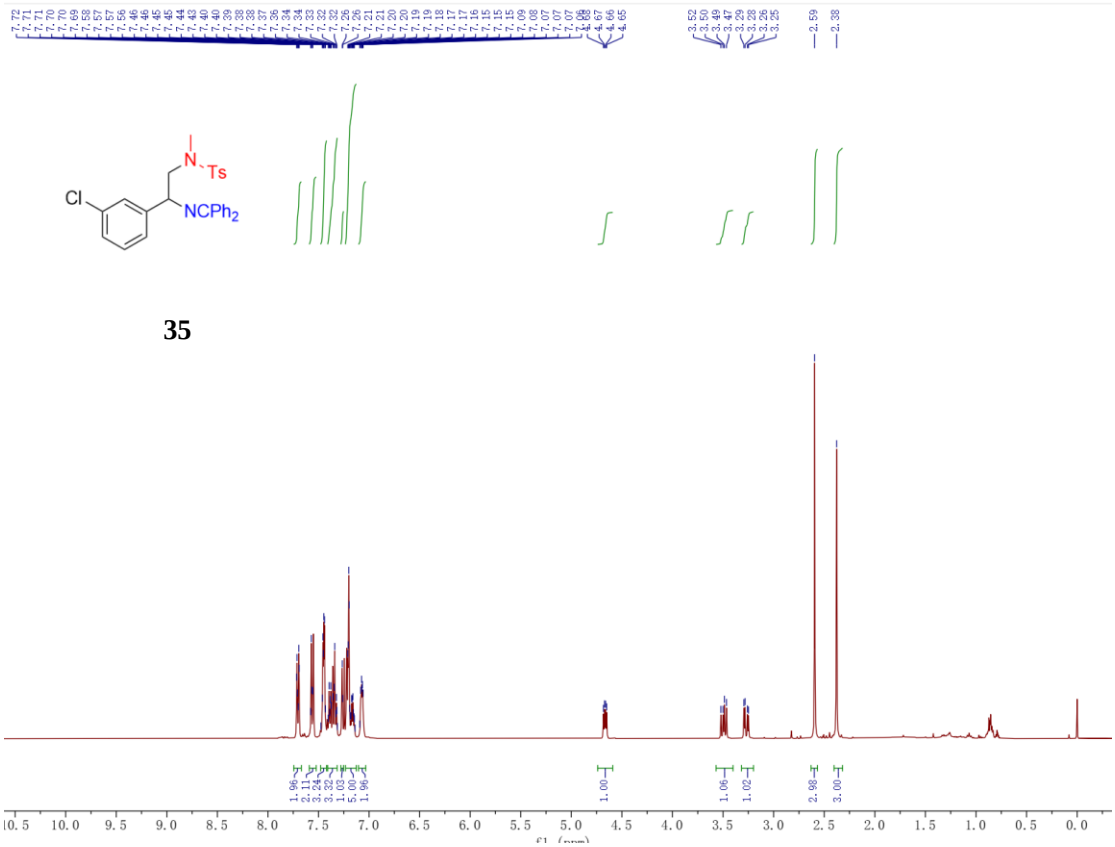
34

^{13}C NMR (101 MHz, $CDCl_3$)



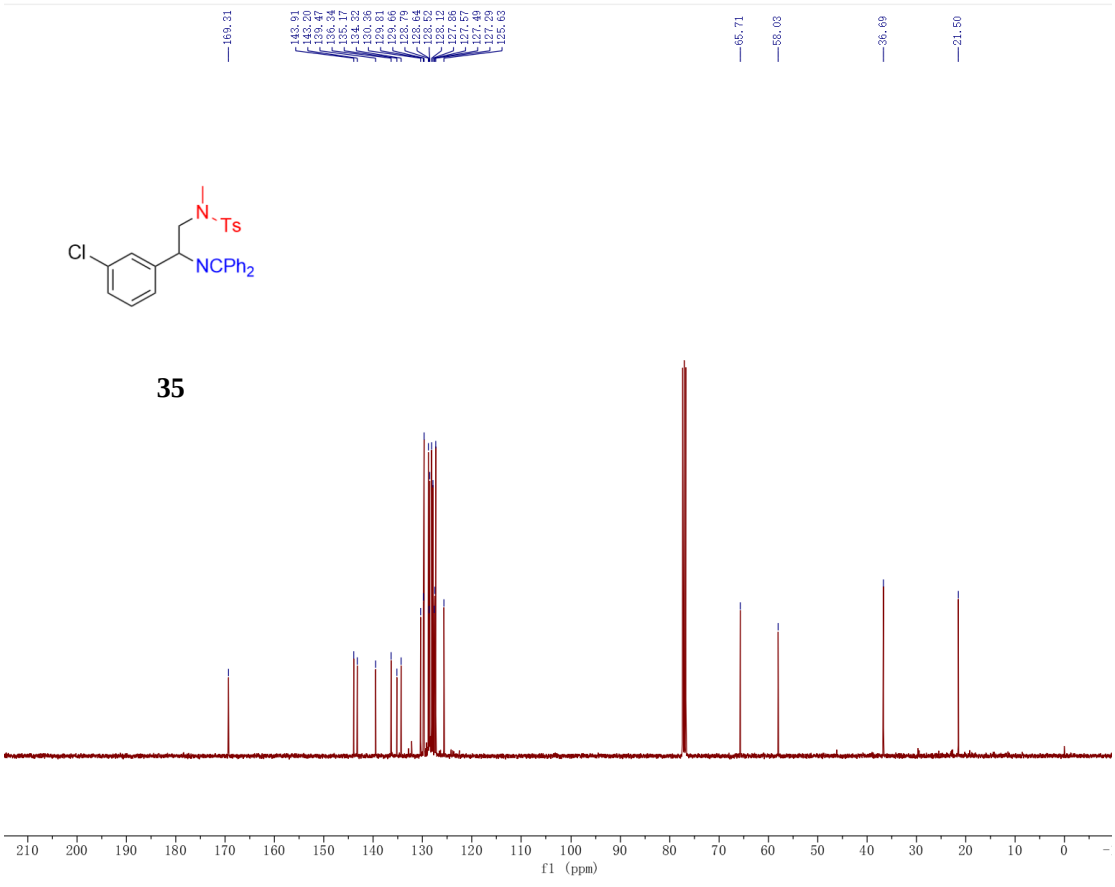
34

^{1}H NMR (400 MHz, $CDCl_3$)



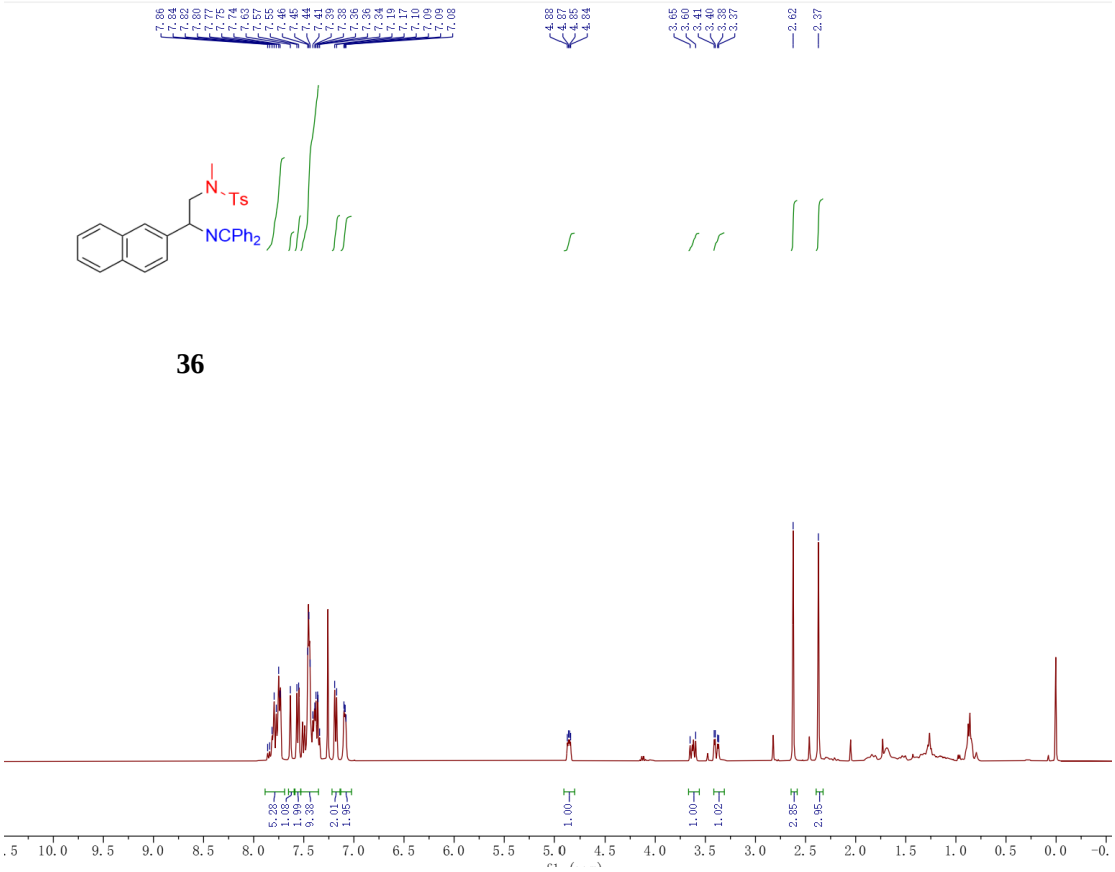
35

^{13}C NMR (101 MHz, $CDCl_3$)



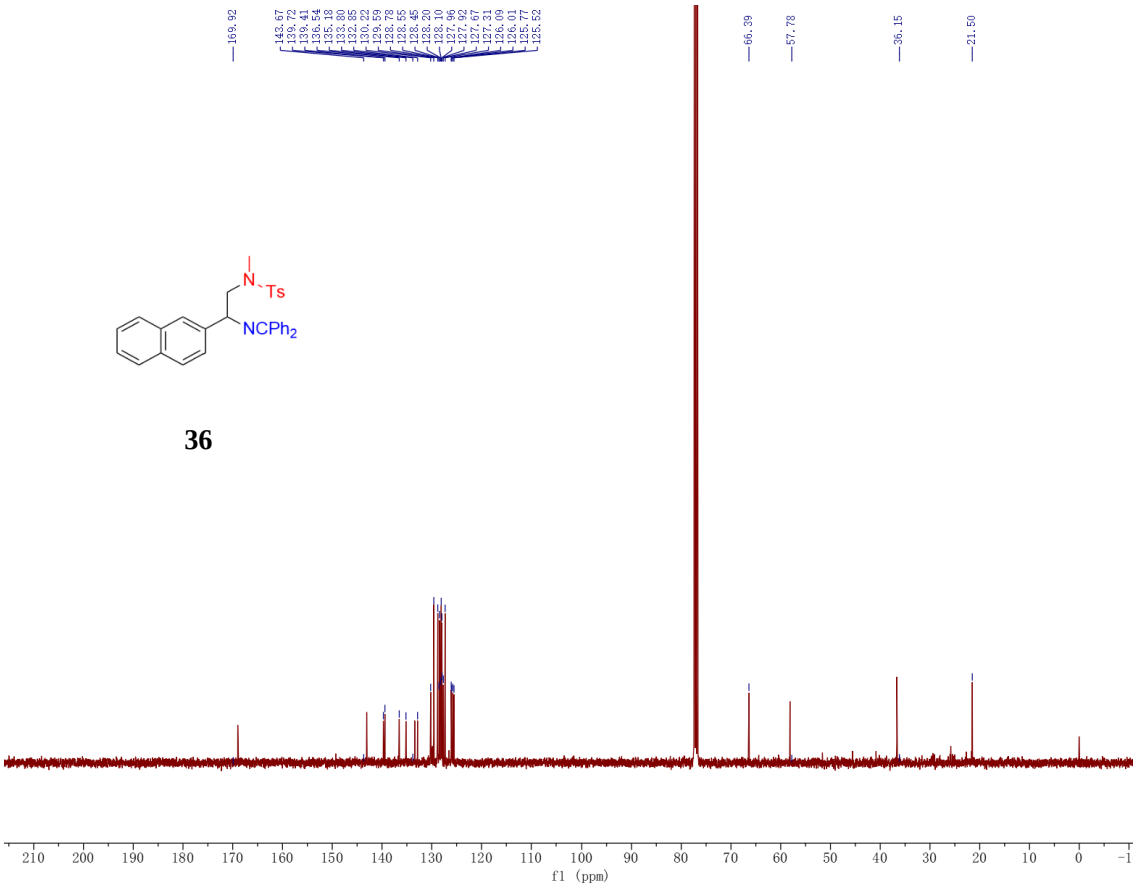
35

^{1}H NMR (400 MHz, $CDCl_3$)



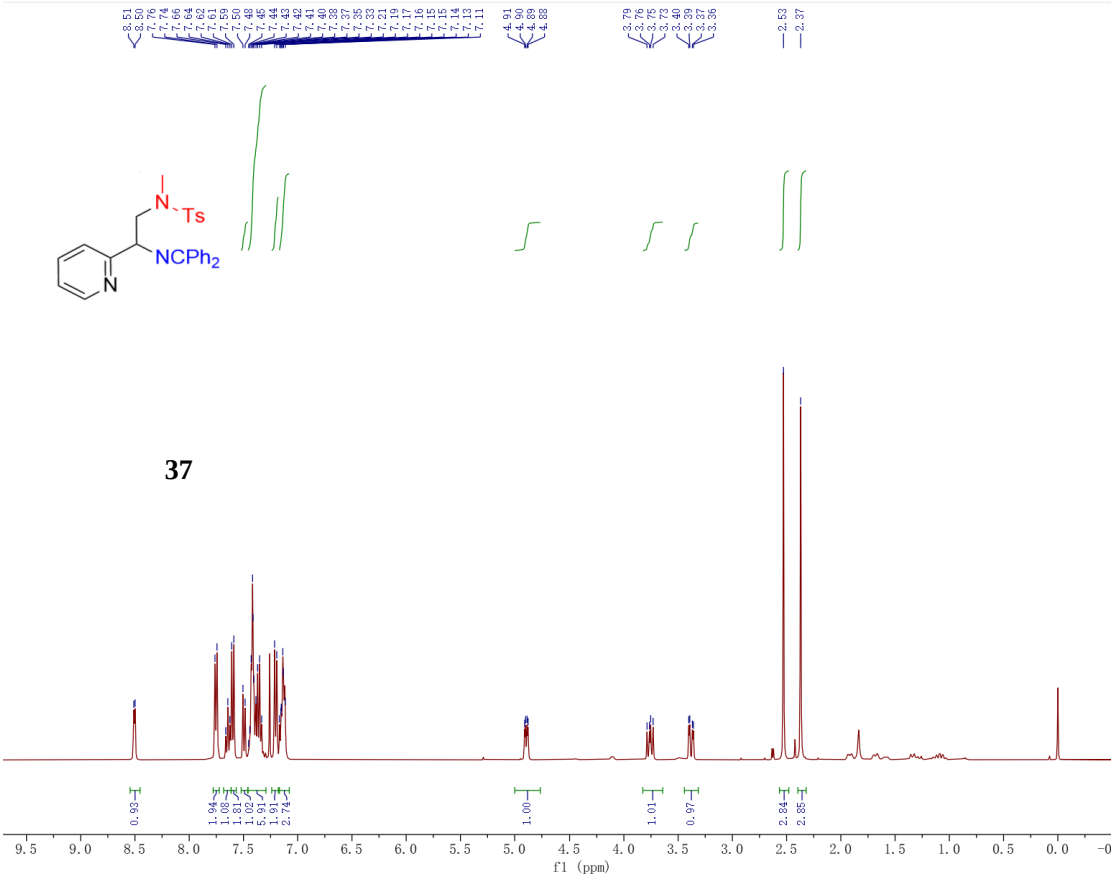
36

^{13}C NMR (101 MHz, $CDCl_3$)



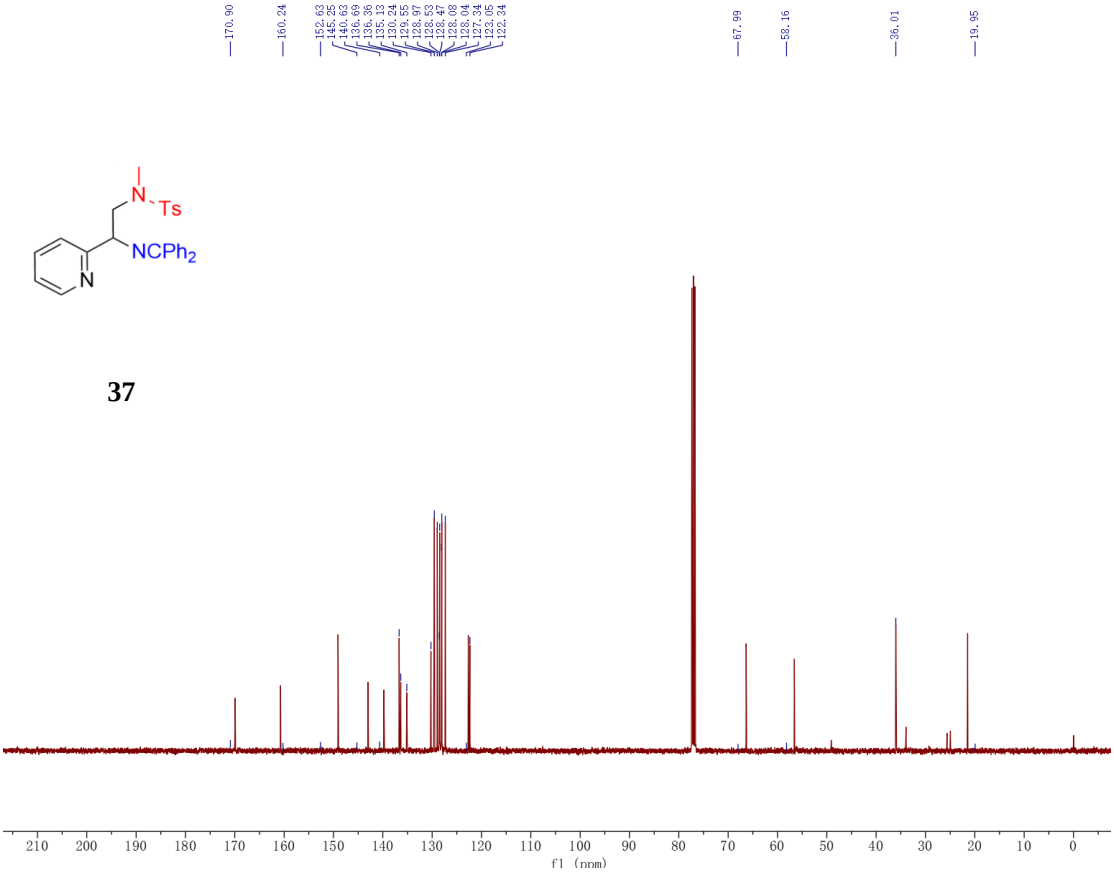
36

^{1}H NMR (400 MHz, $CDCl_3$)



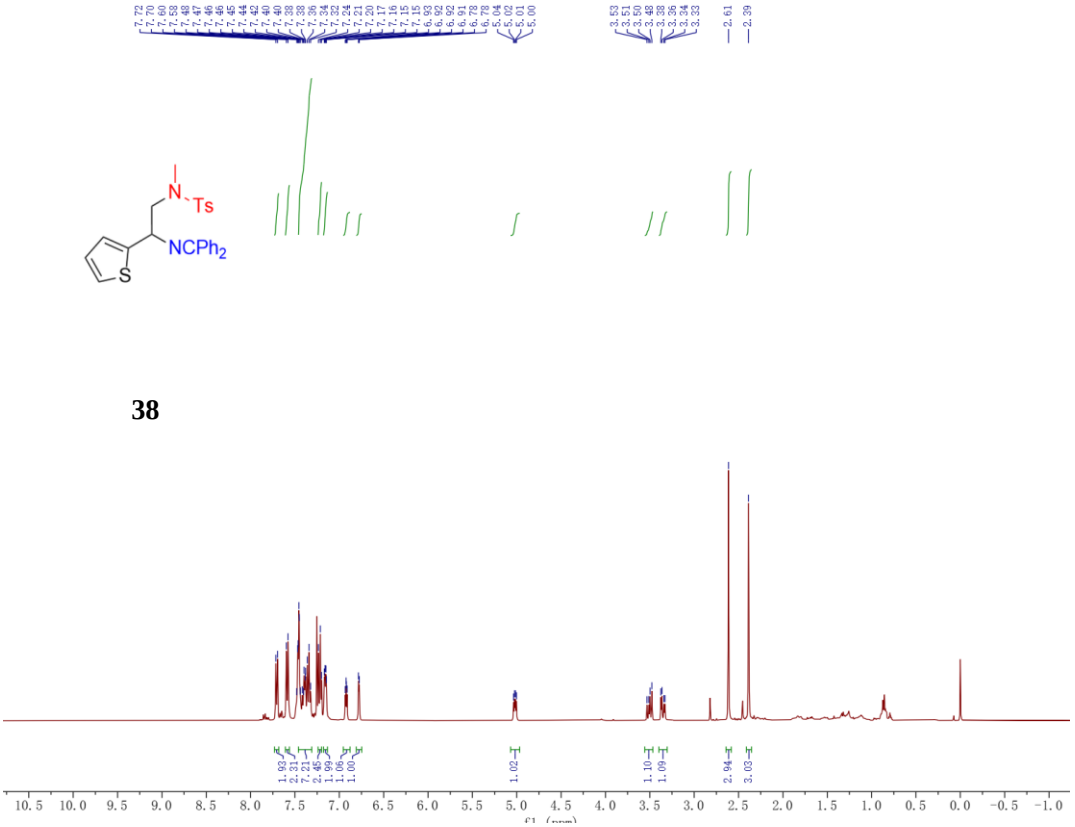
37

^{13}C NMR (101 MHz, $CDCl_3$)



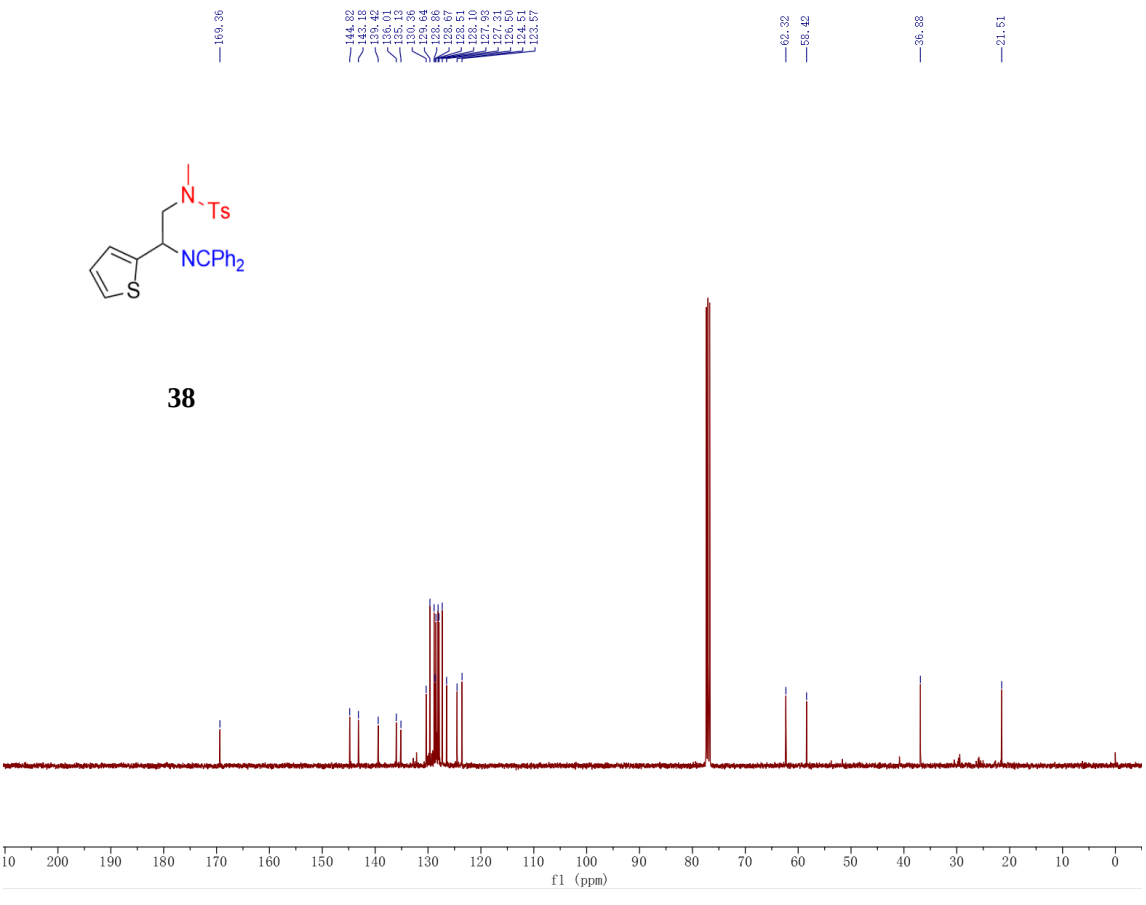
37

^{1}H NMR (400 MHz, $CDCl_3$)



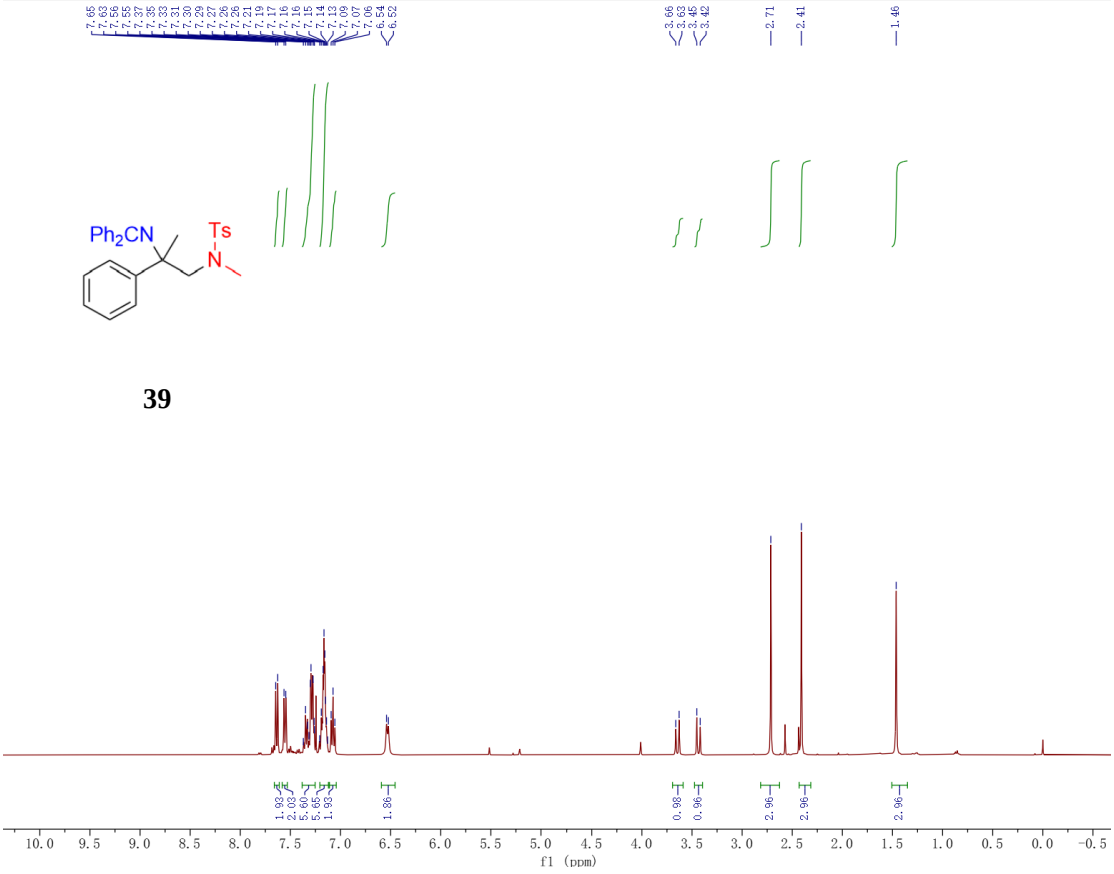
38

^{13}C NMR (101 MHz, $CDCl_3$)



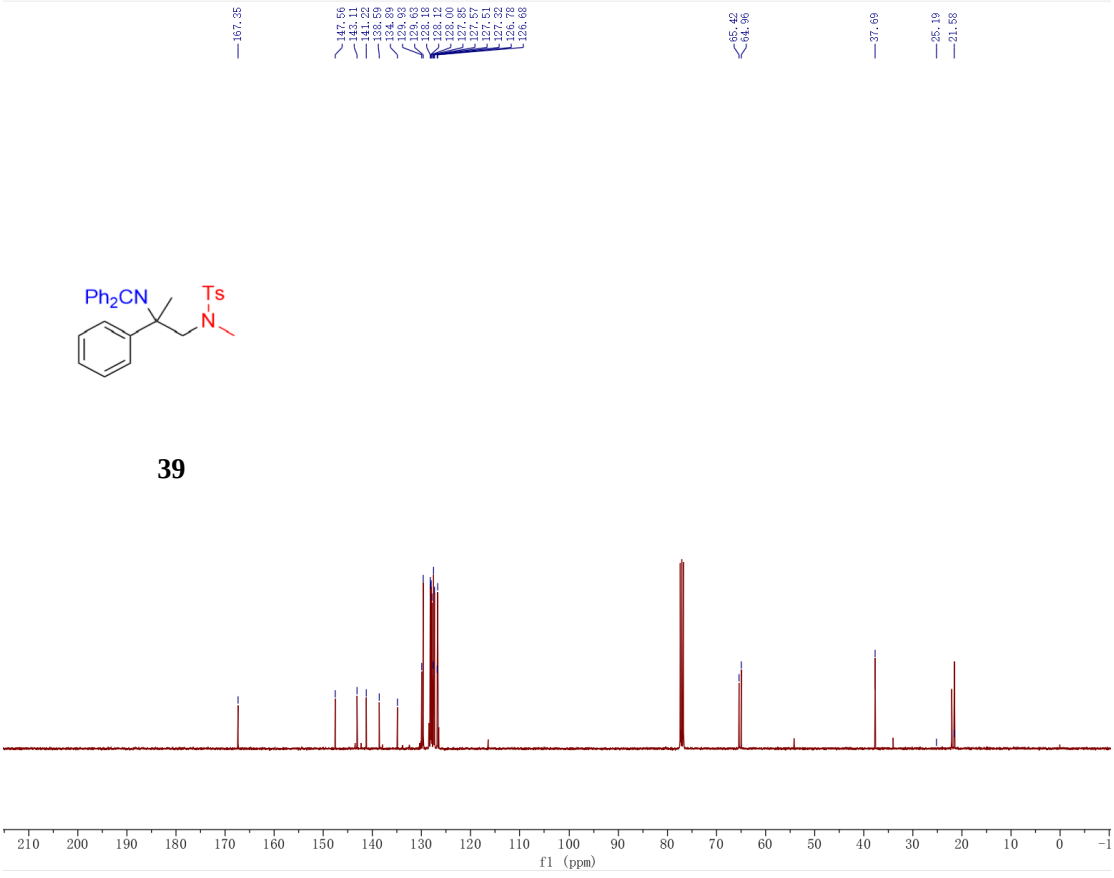
38

^{1}H NMR (400 MHz, $CDCl_3$)



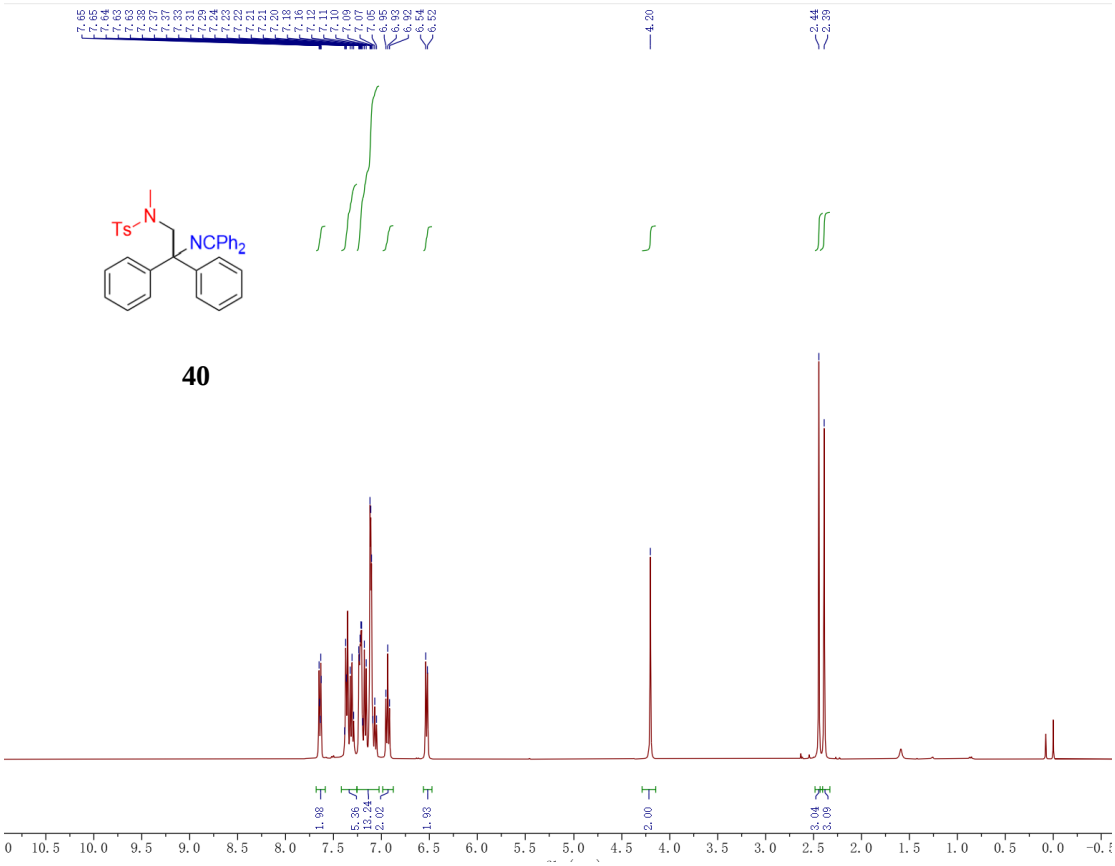
39

^{13}C NMR (101 MHz, $CDCl_3$)



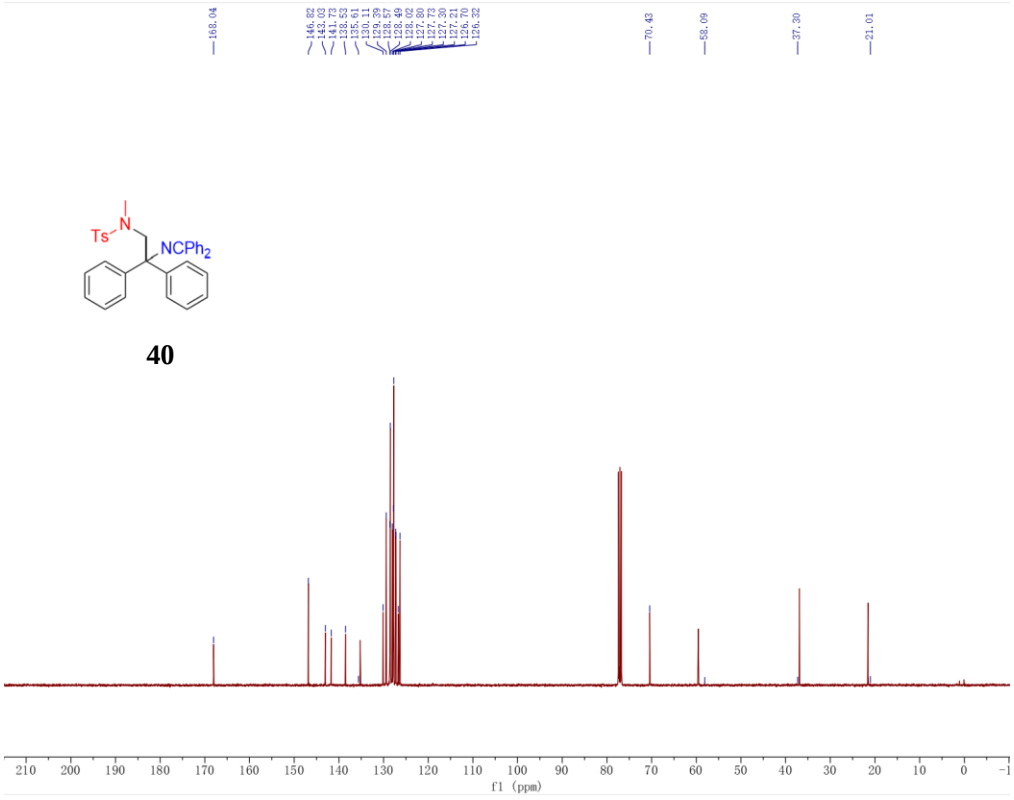
39

^{1}H NMR (400 MHz, $CDCl_3$)



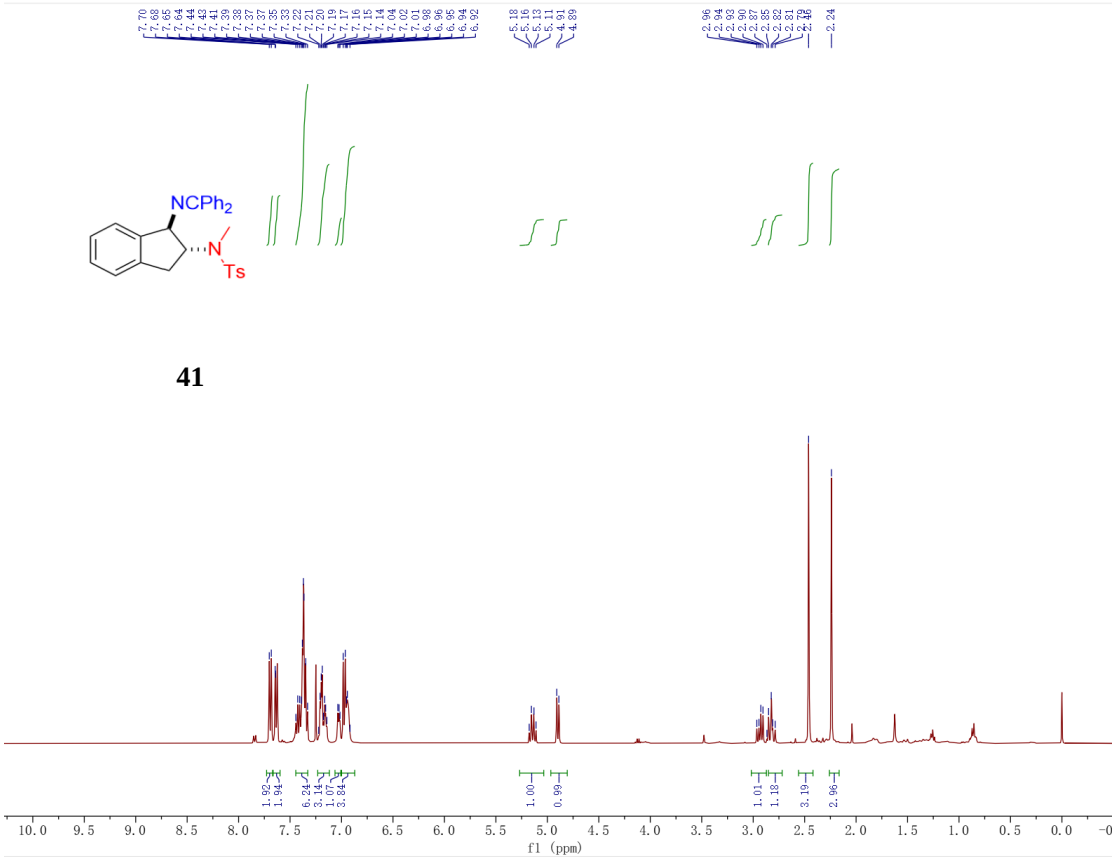
40

^{13}C NMR (101 MHz, $CDCl_3$)



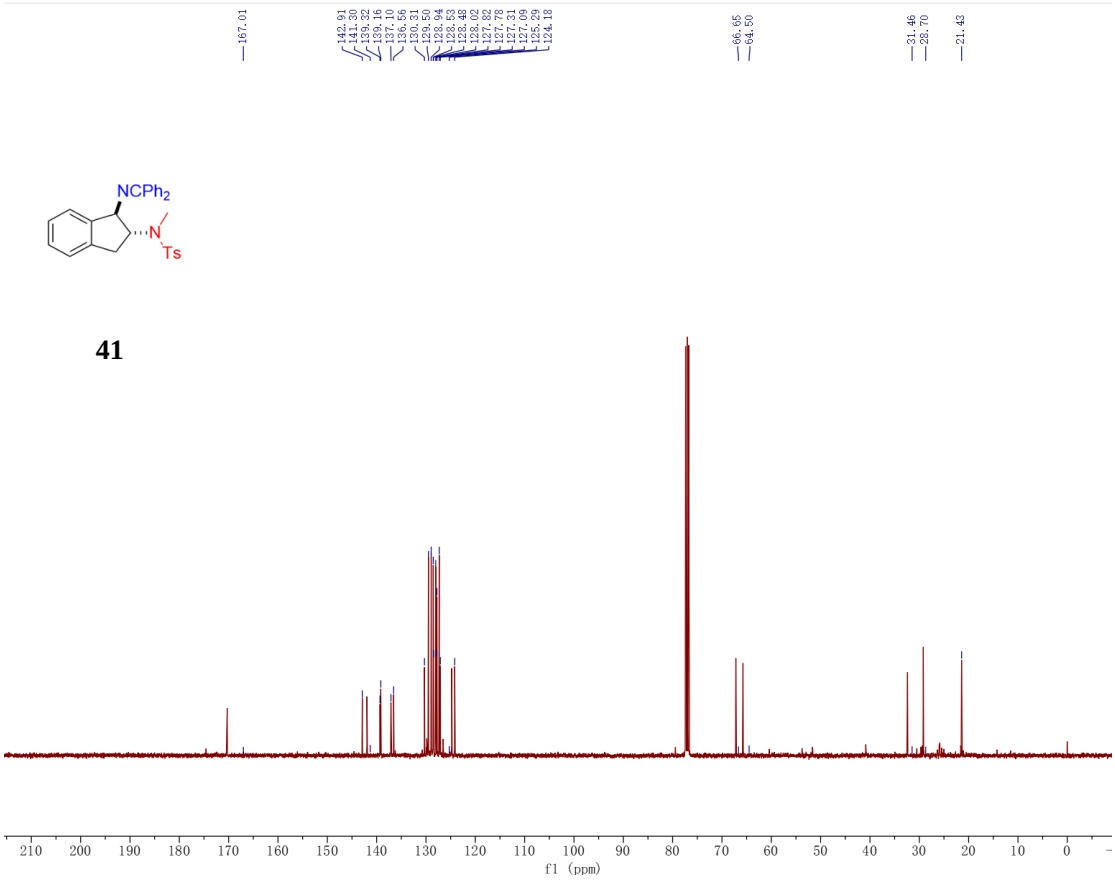
40

^{1}H NMR (400 MHz, $CDCl_3$)



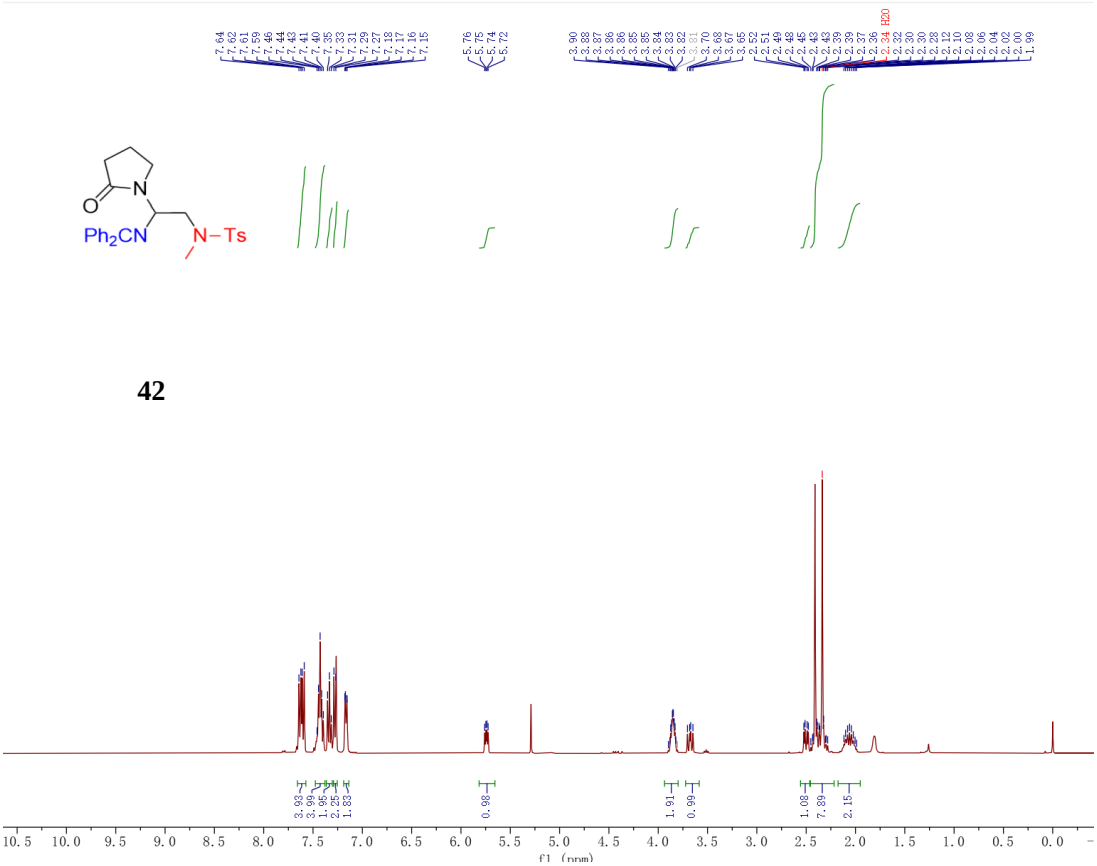
41

^{13}C NMR (101 MHz, $CDCl_3$)



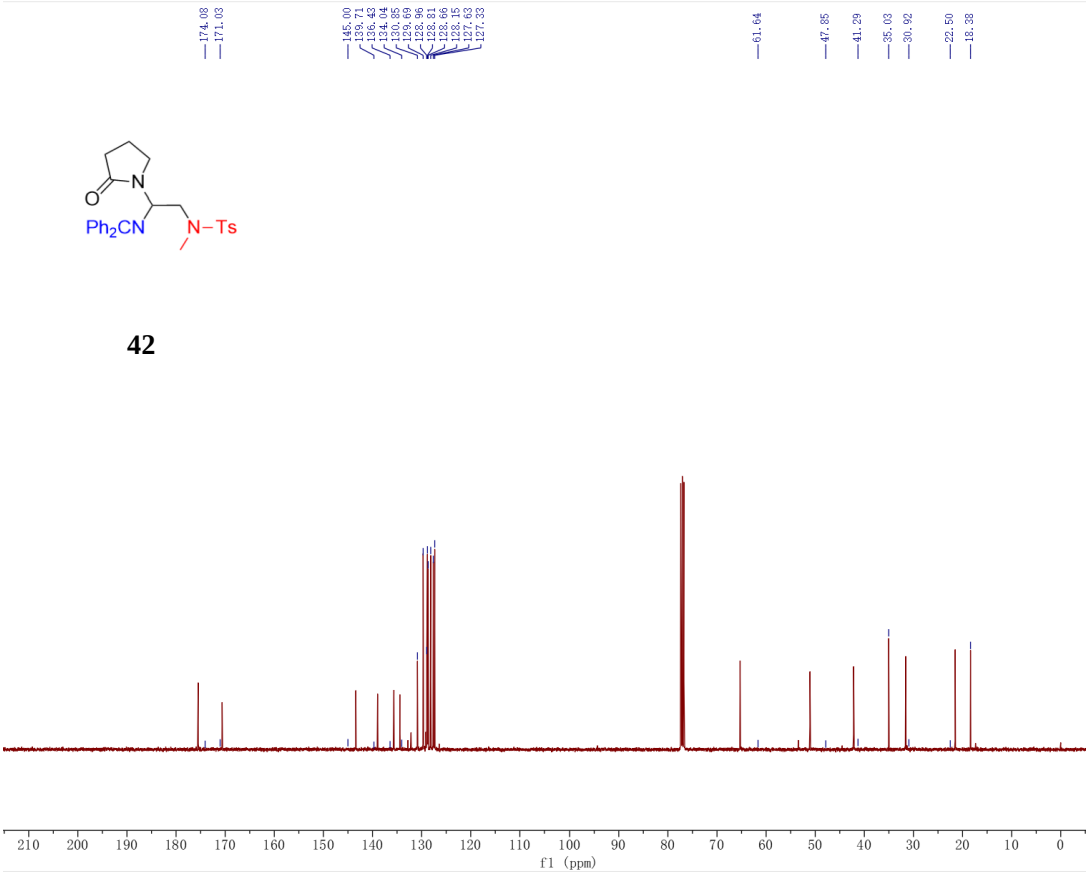
41

^{1}H NMR (400 MHz, $CDCl_3$)



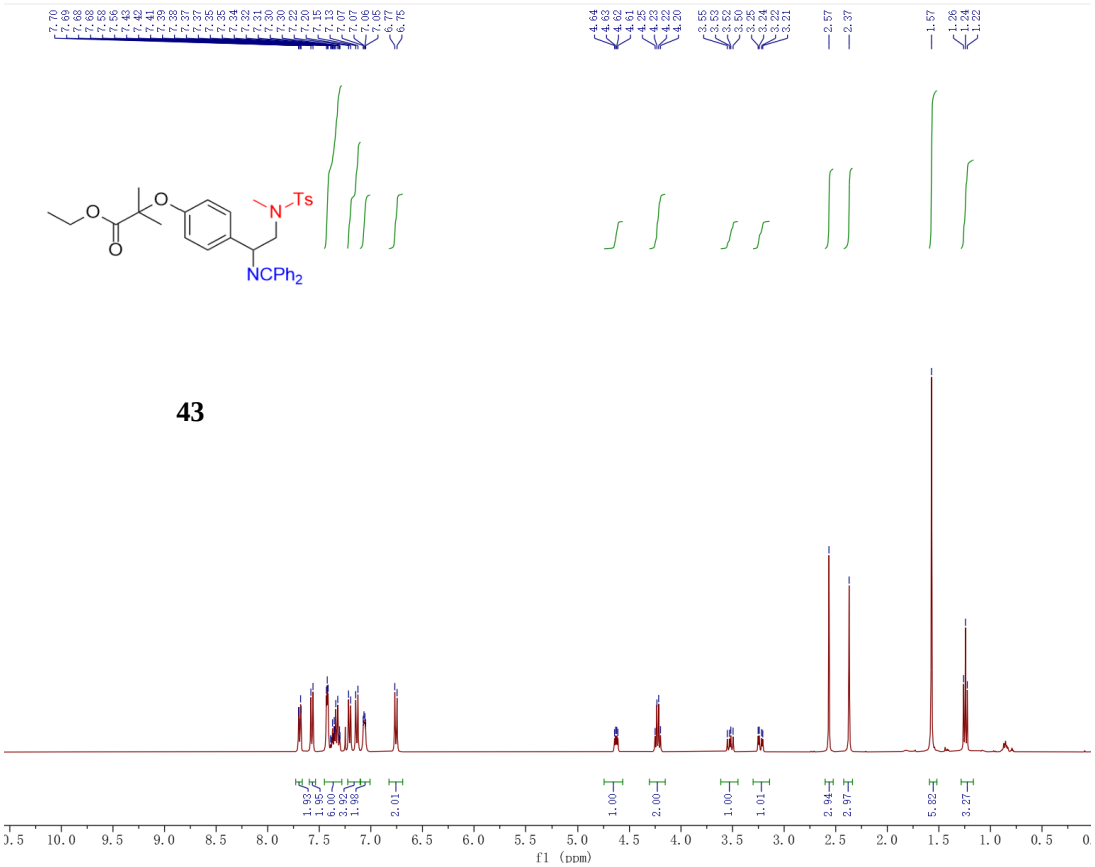
42

^{13}C NMR (101 MHz, $CDCl_3$)



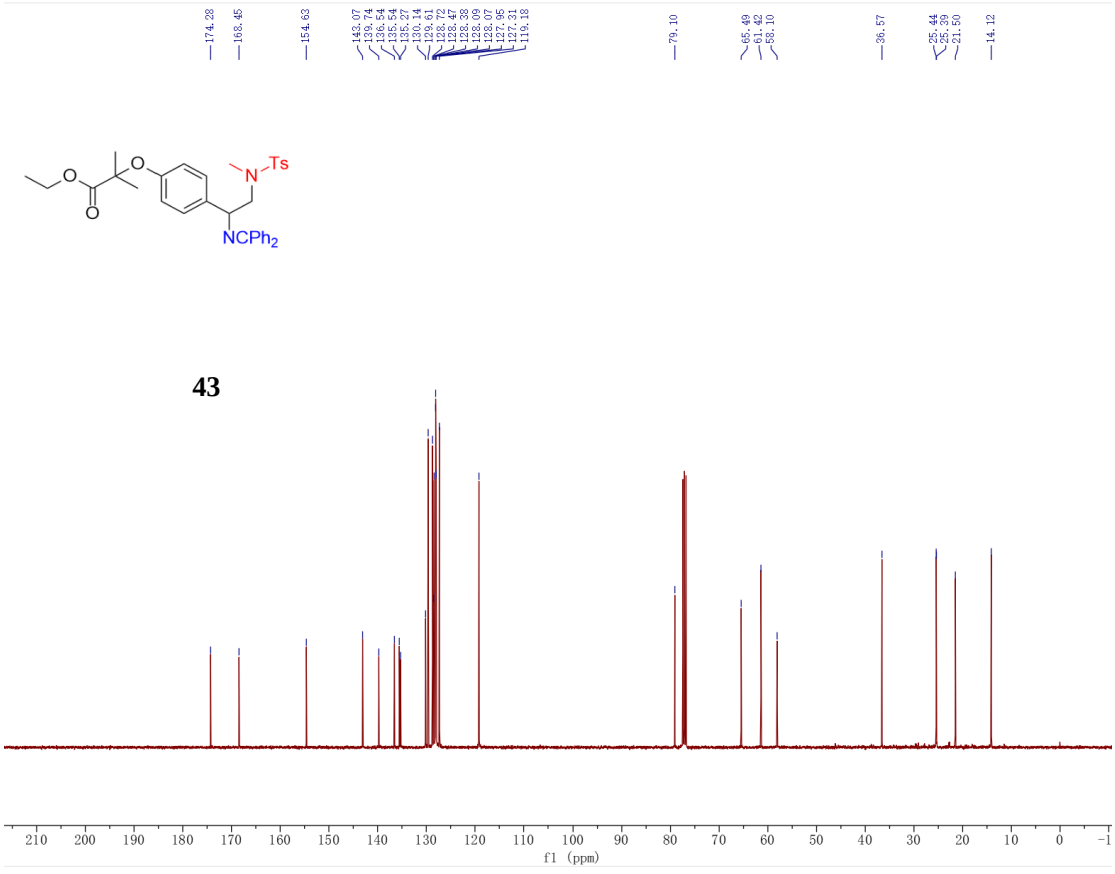
42

^{1}H NMR (400 MHz, $CDCl_3$)



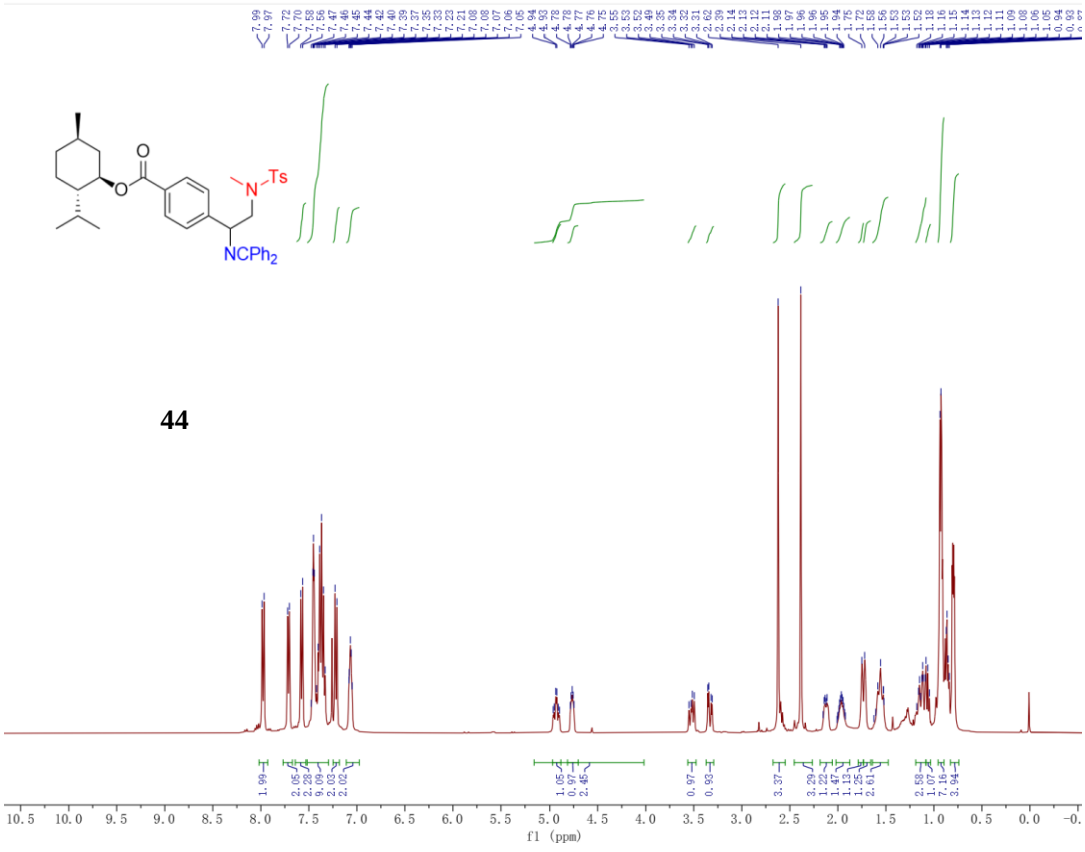
43

^{13}C NMR (101 MHz, $CDCl_3$)



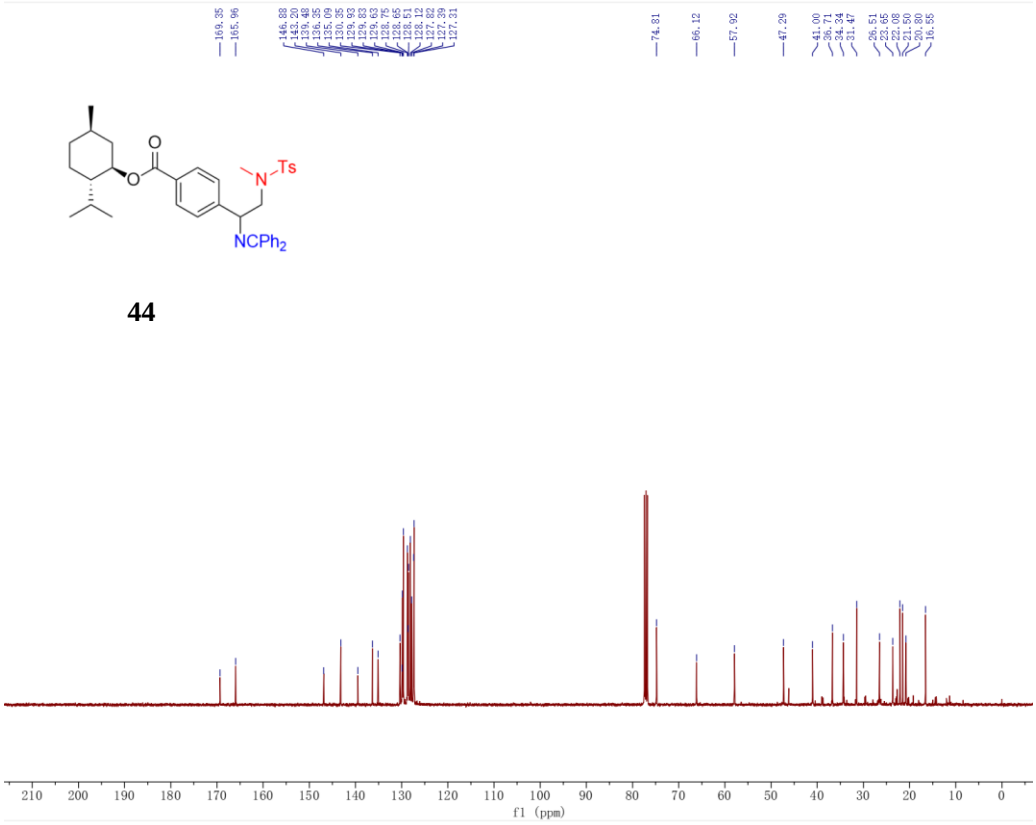
43

^{1}H NMR (400 MHz, $CDCl_3$)



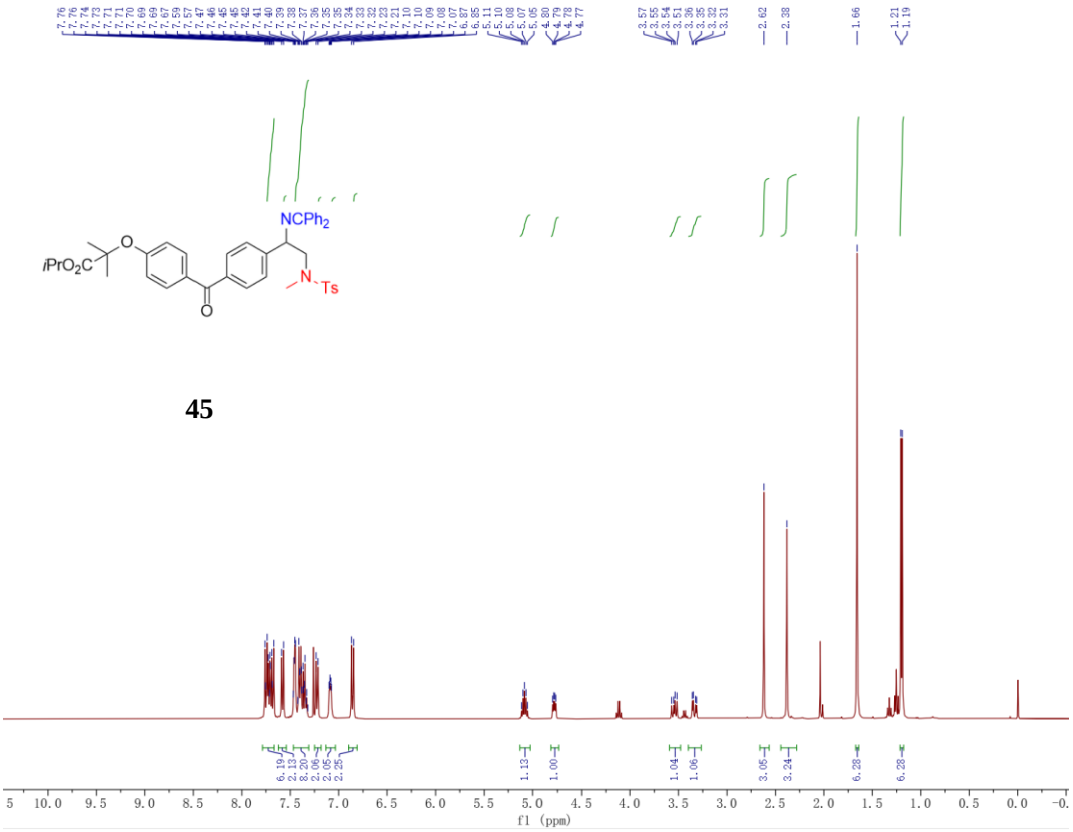
44

^{13}C NMR (101 MHz, $CDCl_3$)



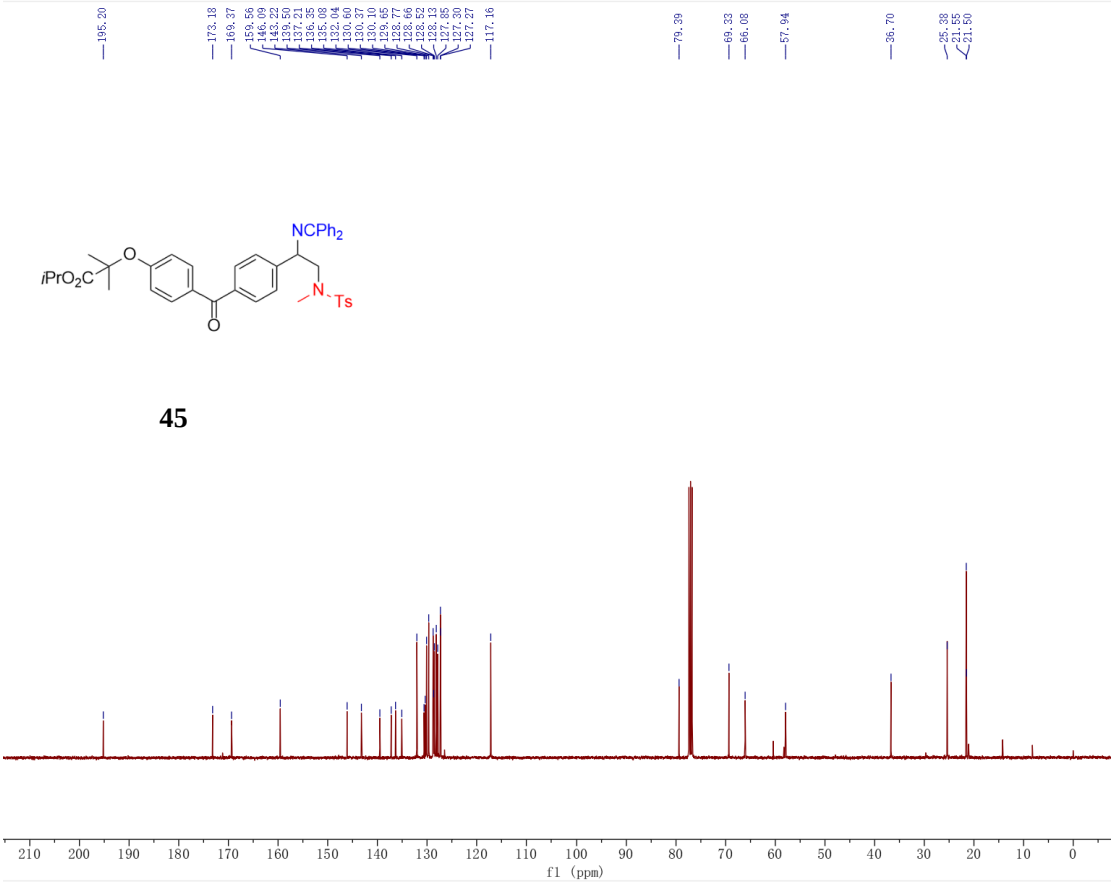
44

^{1}H NMR (400 MHz, $CDCl_3$)



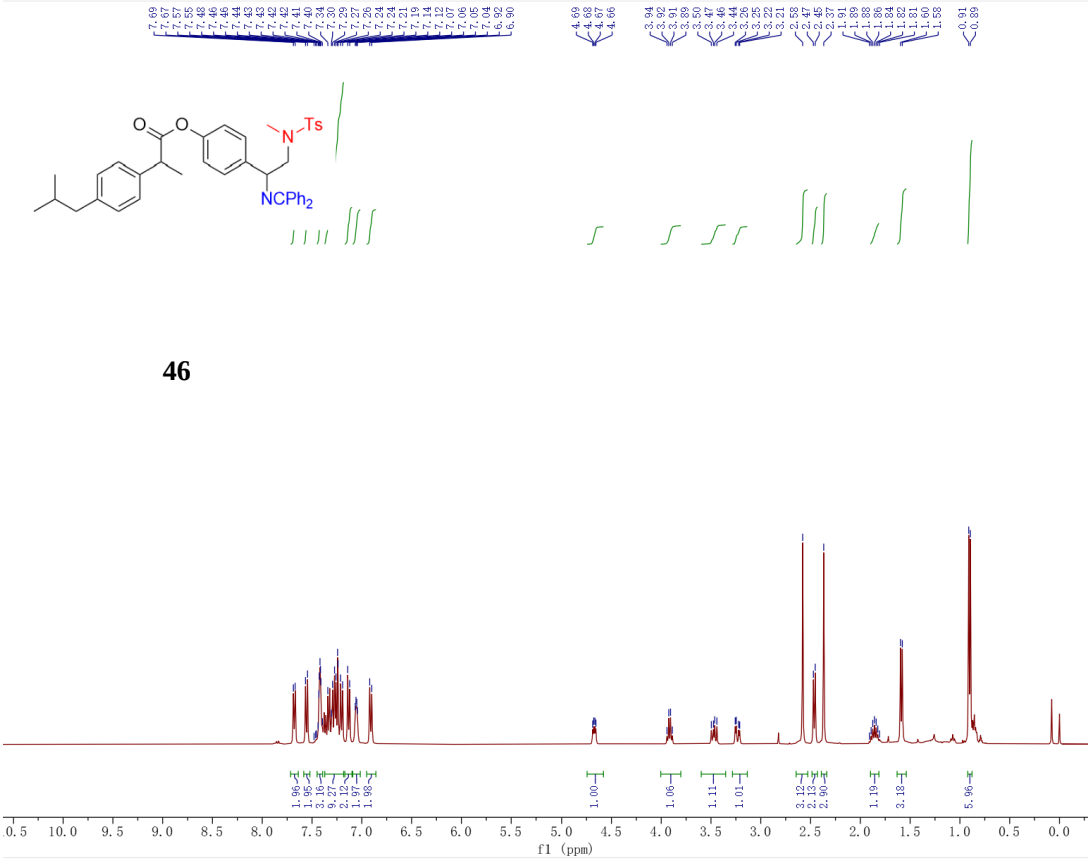
45

^{13}C NMR (101 MHz, $CDCl_3$)



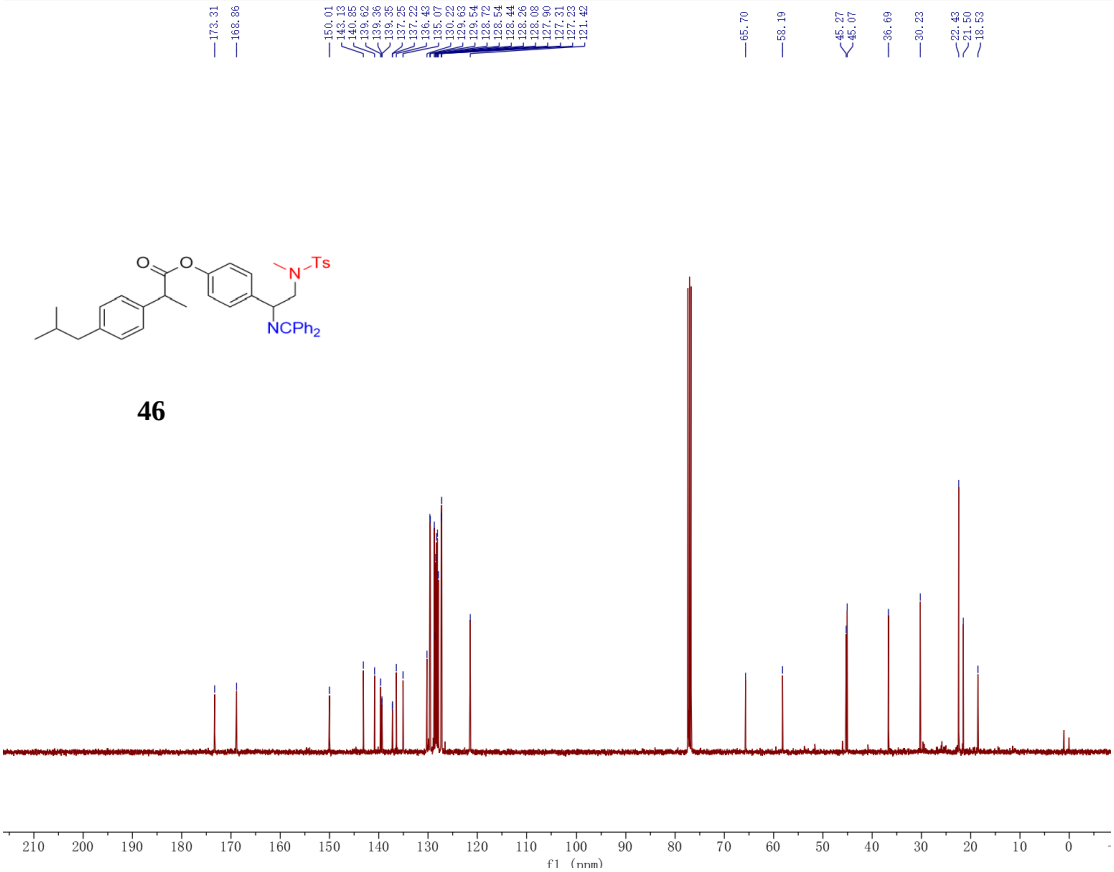
45

^{1}H NMR (400 MHz, $CDCl_3$)



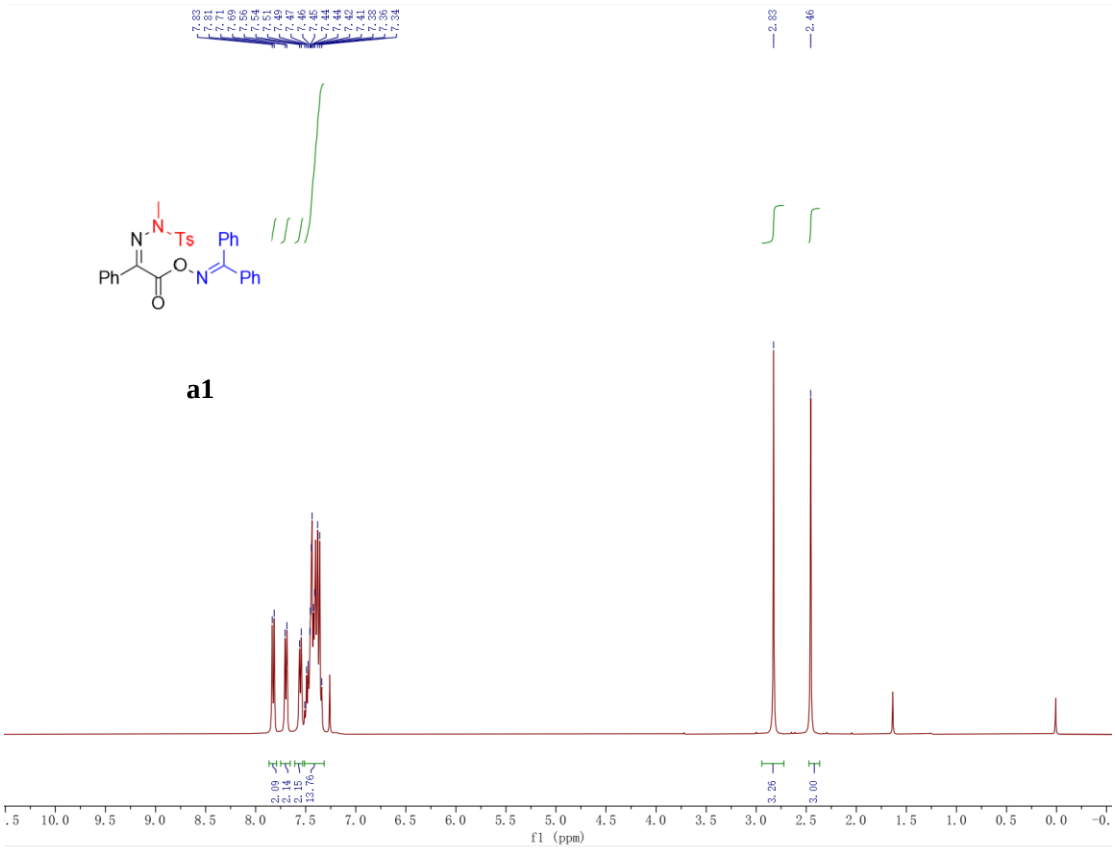
46

^{13}C NMR (101 MHz, $CDCl_3$)

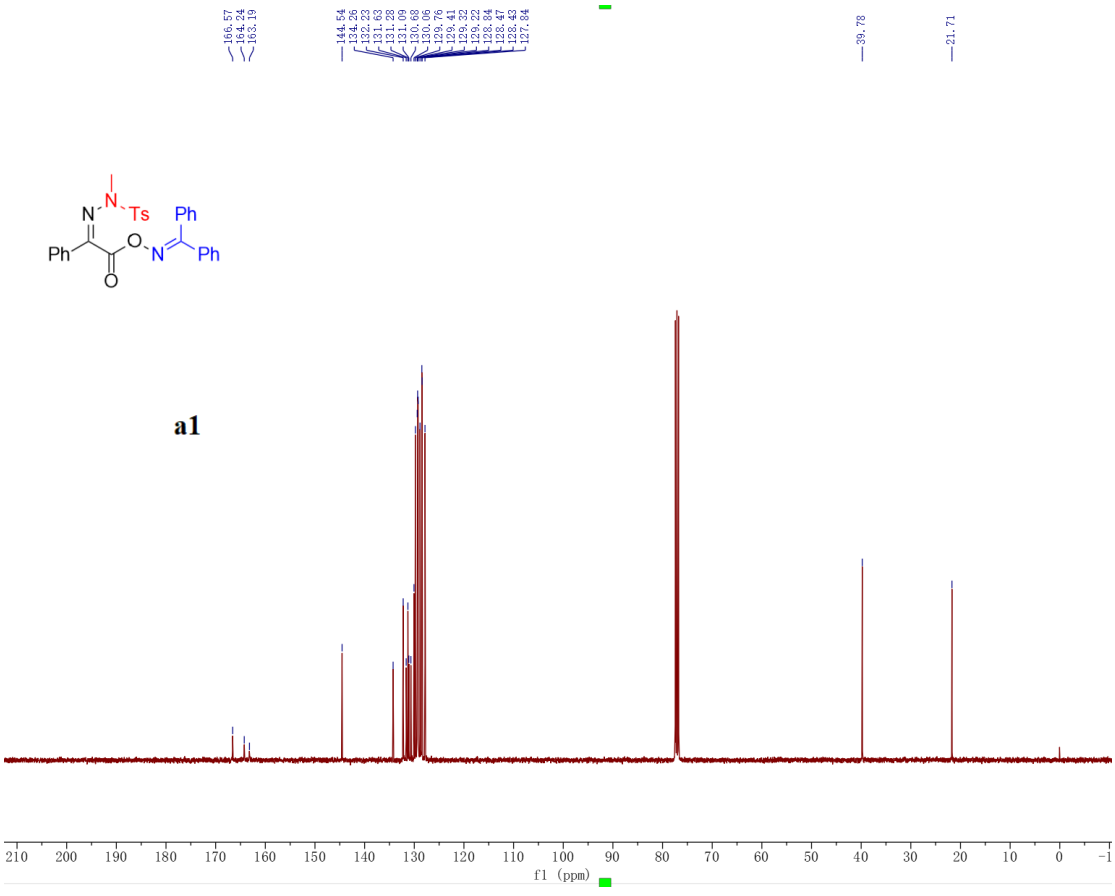


46

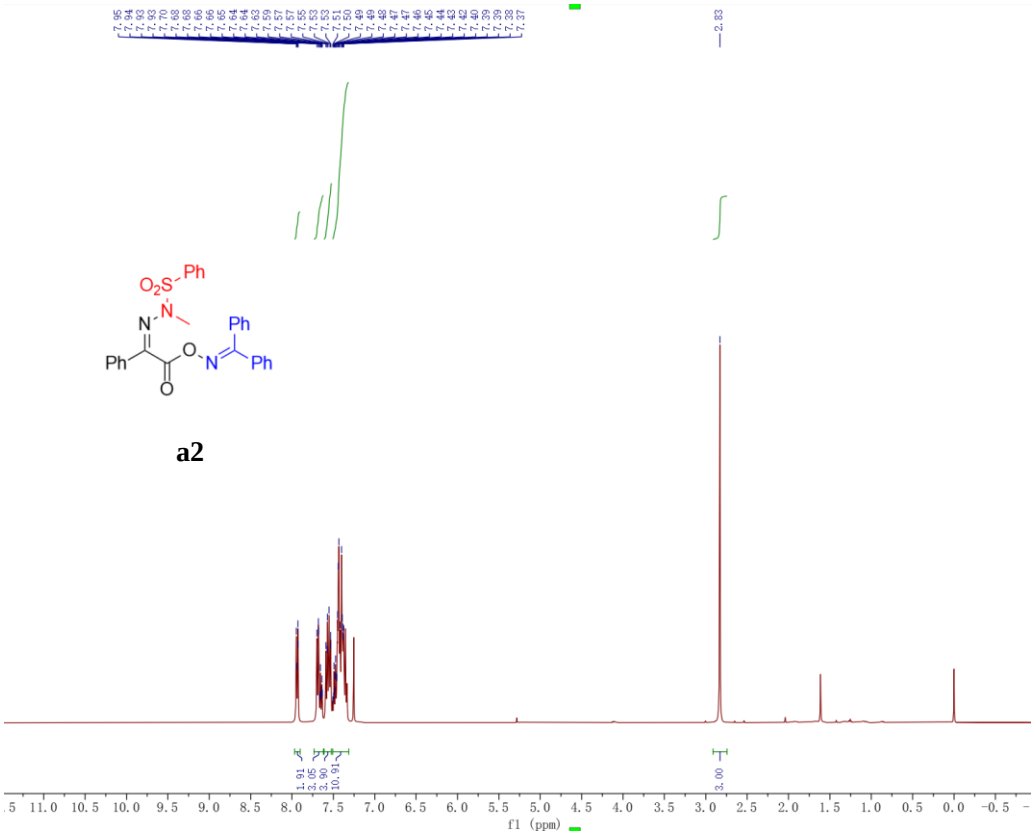
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)

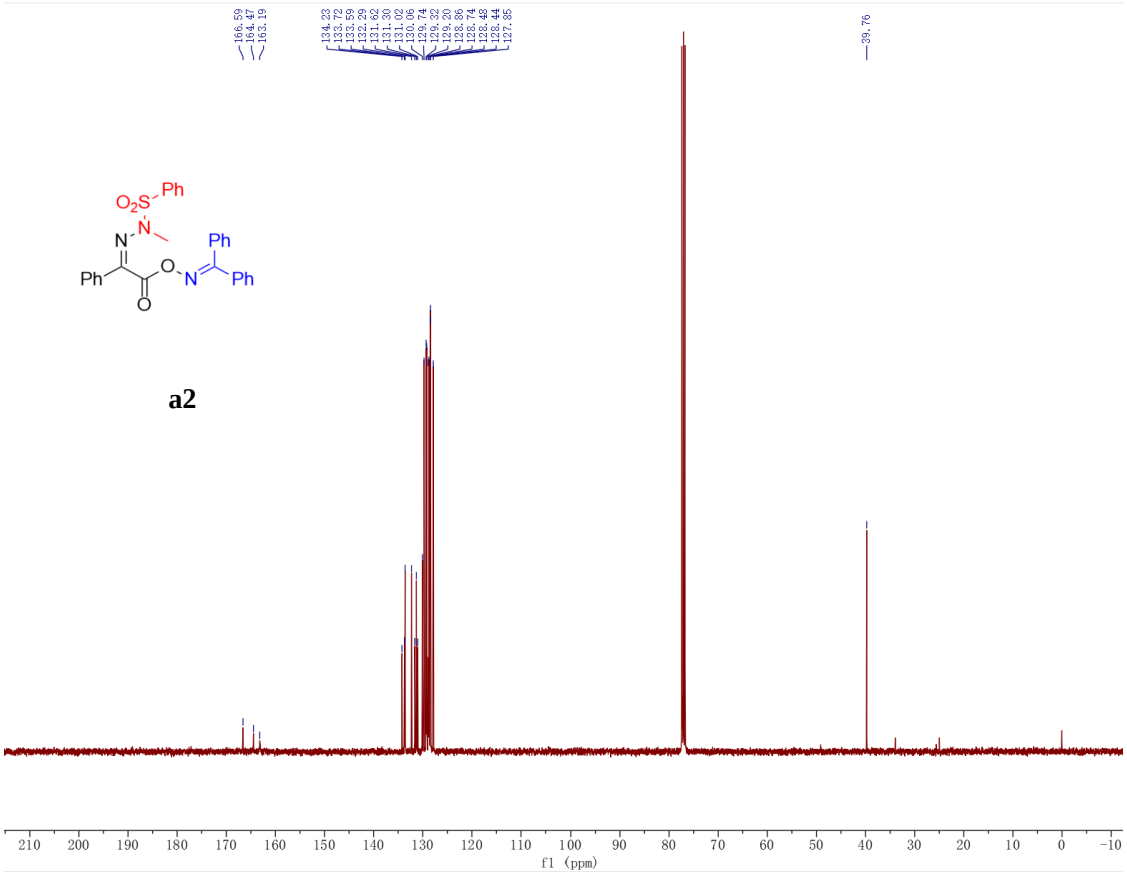


^{1}H NMR (400 MHz, $CDCl_3$)



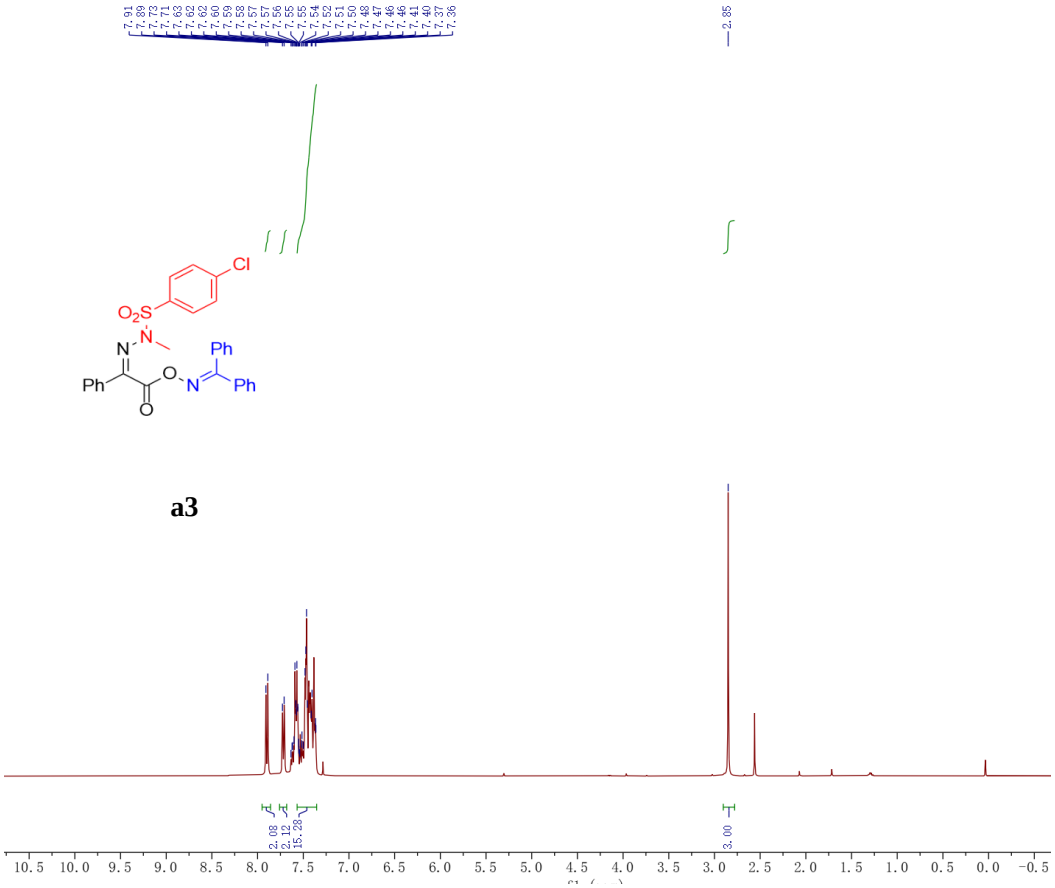
a2

^{13}C NMR (101 MHz, $CDCl_3$)



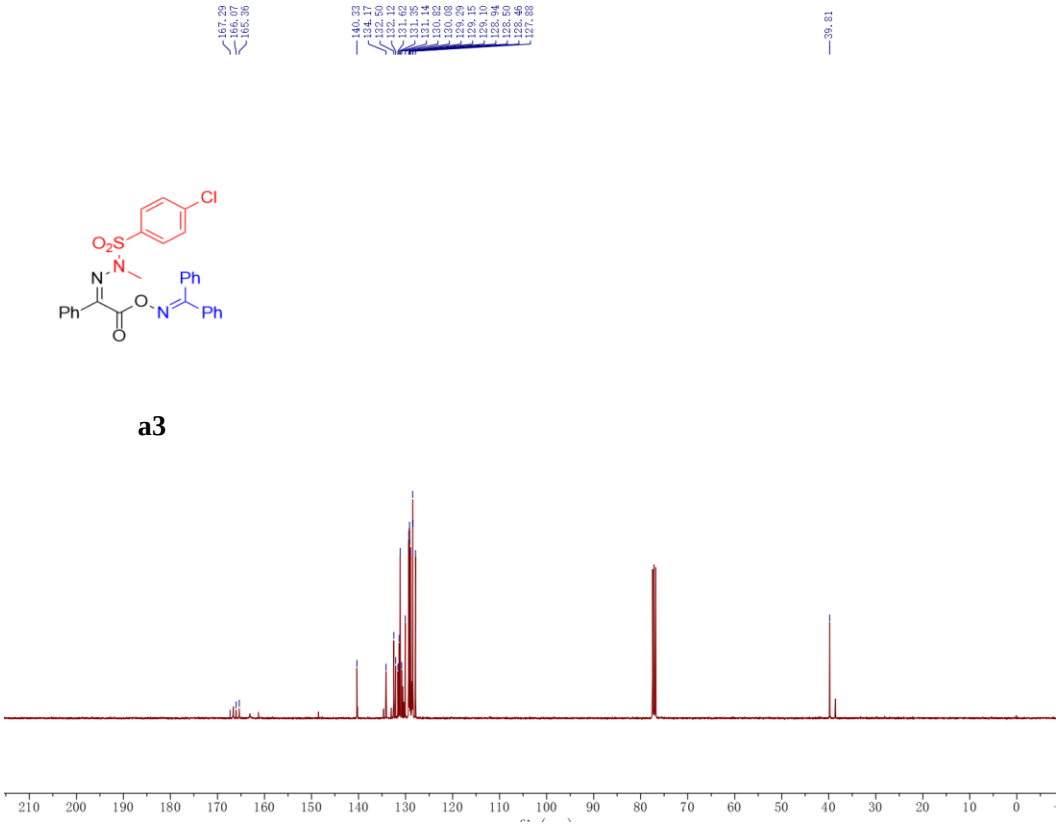
a2

^{1}H NMR (400 MHz, $CDCl_3$)



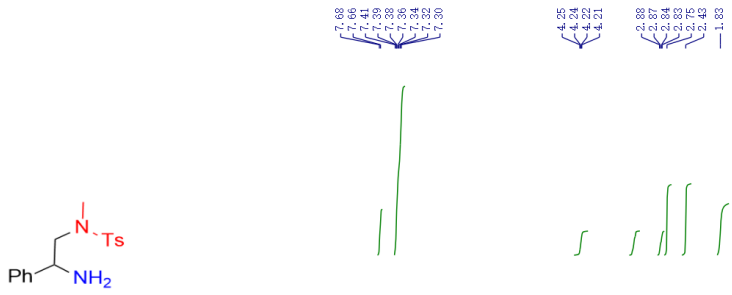
a3

^{13}C NMR (101 MHz, $CDCl_3$)

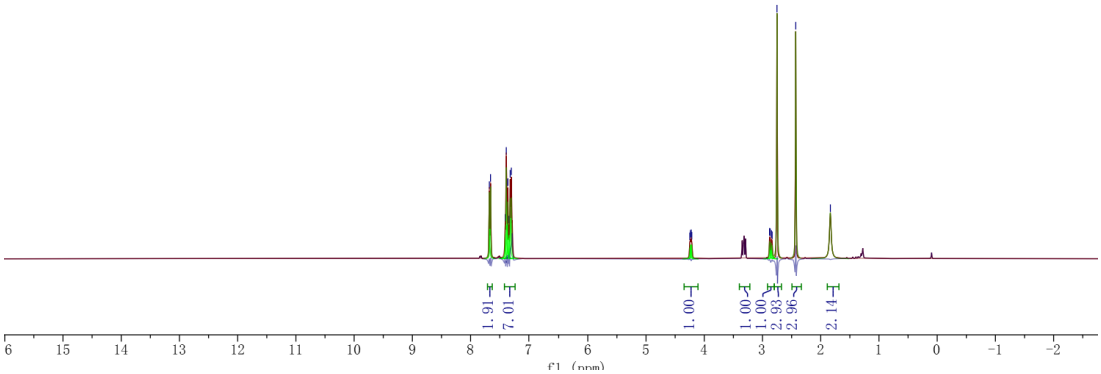


a3

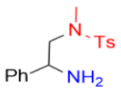
^{1}H NMR (400 MHz, $CDCl_3$)



47

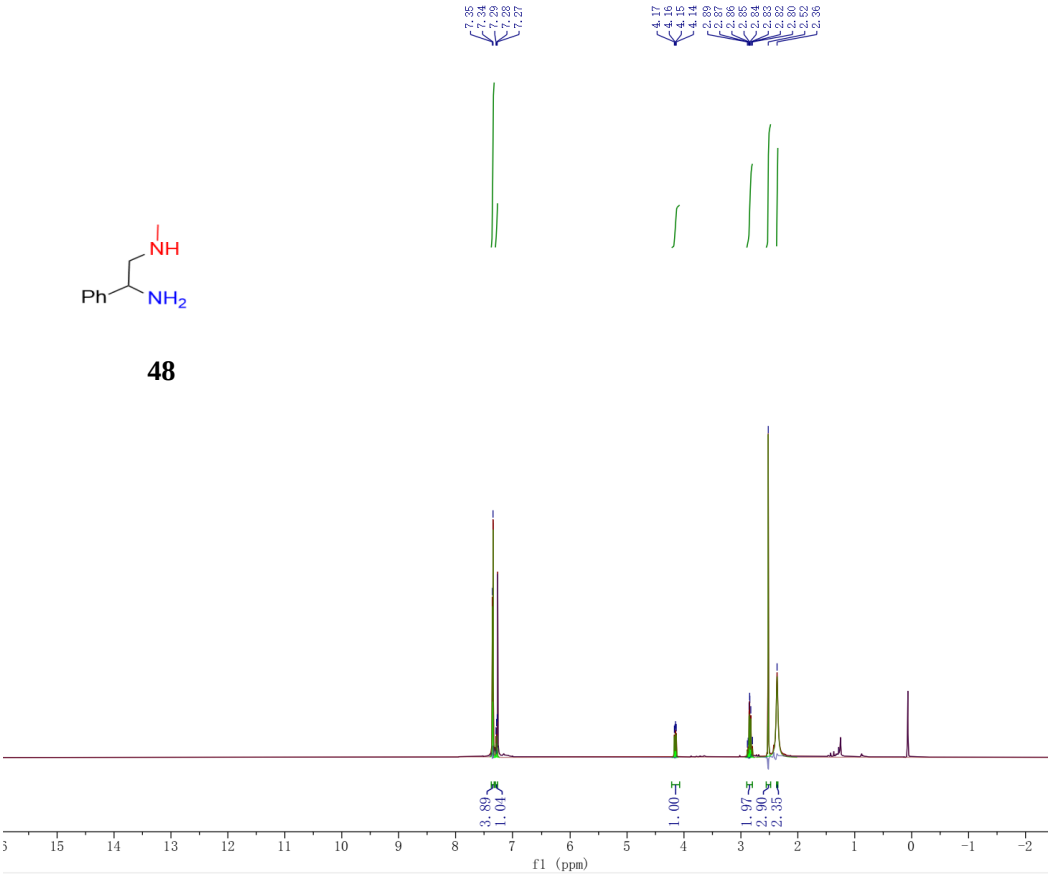


^{13}C NMR (101 MHz, $CDCl_3$)



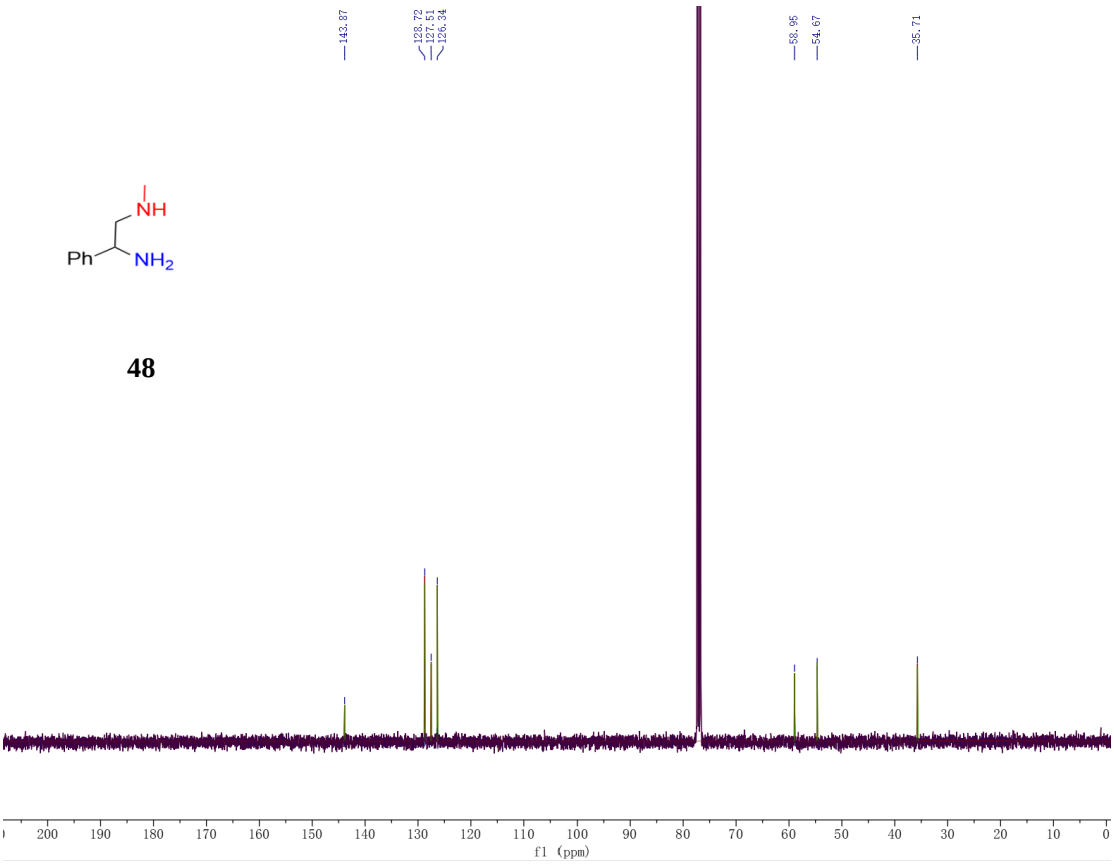
47

^{1}H NMR (400 MHz, $CDCl_3$)



48

^{13}C NMR (101 MHz, $CDCl_3$)



48