Electronic Supplementary Information

A tandem process for the synthesis of β-aminoboronic acids from aziridines with haloamine intermediates

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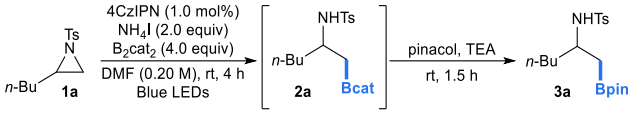
1. General Experimental Details

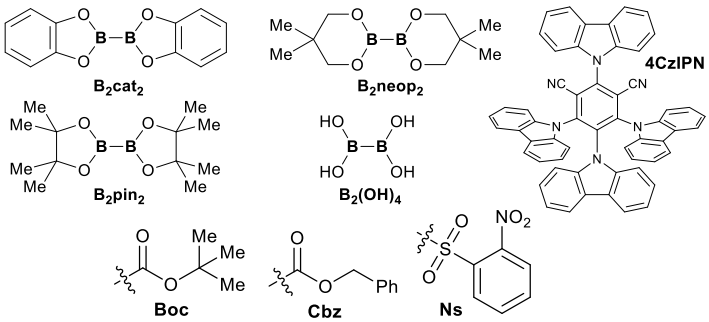
Unless otherwise noted, all reactions were performed under inert conditions. Analytical TLC was performed on a Merck 60 F254 silica gel plates (0.25mm thickness), and visualized either using UV light (254 nm) or by staining with potassium permanganate and heating. Column chromatography was performed on Merck 60 silica gel (230–400 mesh). NMR spectroscopy experiments were conducted with a Varian 400 and 500 MHz or a Bruker 300 MHz system. NMR spectra were processed with ACD NMR Processor or MestReNova. Chemical shifts are reported in ppm and referenced to residual solvent peaks ($CHCl_3$ in $CDCl_3$: 7.26 ppm for 1H, 77.16 ppm for 13C). Coupling constants are reported in Hertz. Deuterated compounds were purchased from Cambridge Isotope Laboratories, Inc. All anhydrous solvents and chemicals were purchased from commercial sources (Sigma-Aldrich, Acros, Alfa Aesar, TCI, or Strem) and used without further purification. 34 W Blue LED lamps purchased from Kessil (Kessil H150 Grow Light-Blue) were used for all the visible light photocatalytic reactions. High-resolution mass spectrometry (HRMS) was performed at the Organic Chemistry Research Center in Sogang University or at the Chemistry Core Facility in Seoul National University using the ESI method.

2. Optimisation Studies

Table S1. Optimisation of reaction conditions.

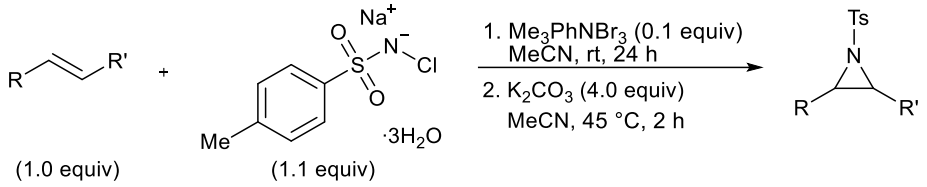


Entry	Conditions	Yield (%)[b]
1	As shown	80 (26)[c]
2	1.0 equiv of B_2cat_2	45
3	2.0 equiv of B_2cat_2	60
4	3.0 equiv of B_2cat_2	69
5	TBAI instead of NH_4I	52
6	KI instead of NH_4I	30
7	NaI instead of NH_4I	42
8	LiI instead of NH_4I	59
9	No light	N.D.
10	B_2pin_2, B_2neop_2, or $B_2(OH)_4$ instead of B_2cat_2	N.D.
11	NBoc instead of NTs	N.D.
12	NCbz instead of NTs	N.D.
13	NNs instead of NTs	N.D.



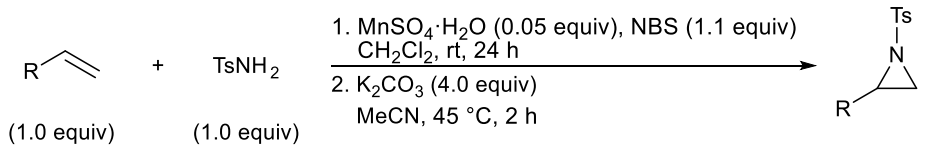
3. General Procedure for Synthesis of Aziridines

General Procedure A[1]



A flame-*d*ried round bottom flask, equipped with a stir bar, was charged with Chloramine-T trihydrate (5.0 g, 20 mmol, 1.1 equiv) and trimethylphenylammonium tribromide (0.75 g, 2.0 mmol, 0.1 equiv) and dissolved in MeCN (25 mL, 0.80 M). Alkene (20 mmol, 1.0 equiv) was added and the mixture was stirred at room temperature for 24 h. The reaction mixture was concentrated *in vauco,* dissolved in a minimal amount of DCM, and filtered through a short silica gel colunm eluting with 1:9 EtOAc/hexane (150 mL). Solvent was removed, and the reside was dissolved in MeCN (15 mL). Anhydrous potassium carbonate (11.0 g, 80 mmol, 4.0 equiv) was added, and the mixture was stirred at 45 °C for 2 h. The reaction was concentrated to dryness and Et_2O was added. The solution was fileterd through a pad of Celite eluting with Et_2O, and concentrated to dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the corresponding compounds.

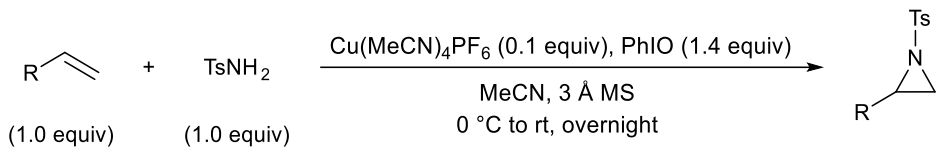
General Procedure B[2]



A flame-*d*ried round bottom flask, equipped with a stir bar, was charged with manganese sulfate monohydrate (168 mg, 1.0 mmol, 0.05 equiv), *p*-toluenesulfonamide (3.42 g, 20 mmol, 1.0 equiv) and *N*-Bromosuccinimide (3.92g, 1.1 equiv) and dissolved in CH_2Cl_2 (25 mL, 0.8 M). Alkene (20 mmol, 1.0 equiv) was added and the mixture was stirred at room temperature for 24 h. Anhydrous potassium carbonate (11.0 g, 80 mmol, 4.0 equiv) was added, and the mixture was stirred at room temperature for 2 h. The reaction mixture was diluted with CH_2Cl_2 and H_2O, and the aqueous layer was extracted with CH_2Cl_2 (2 times). The combined organic layer was dried over anhydrous Na_2SO_4, and the filterate was

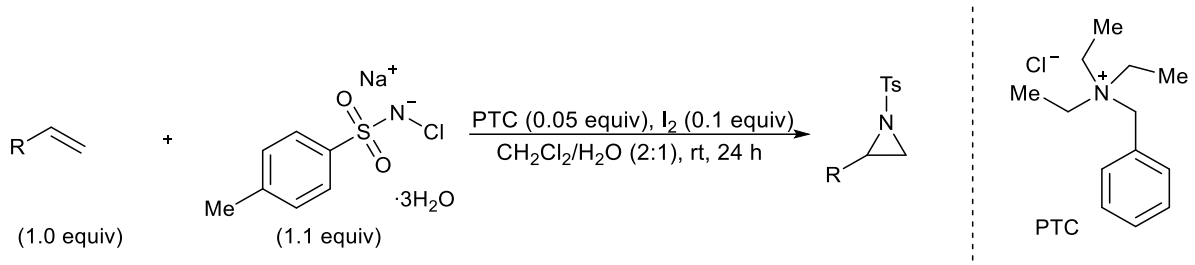
concentrated to dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the corresponding compounds .

General Procedure C[3]



A flame-*d*ried round bottom flask, equipped with a stir bar, was charged with Tetrakis(acetonitrile)copper(I) hexafluorophosphate (372 mg, 1.0 mmol, 0.1 equiv), *p*-toluenesulfonamide (1.71 g, 10 mmol, 1.0 equiv) and activated 3 Å molecular sieves (1.0 g/mmol alkene) and dissolved in MeCN (20 mL, 0.5 M). Alkene (10 mmol, 1.0 equiv) was added and the mixture was cooled to 0 °C, then iodosylbenzene (3.08 g, 14 mmol, 1.4 equiv) was added in one portion. The mixture was allowed to warm to room temperarue and let stir for overnignt. The reaction mixture was filtered through a pad of Celite, and the filterate was concentrated to dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the corresponding compounds .

General Procedure D[4]

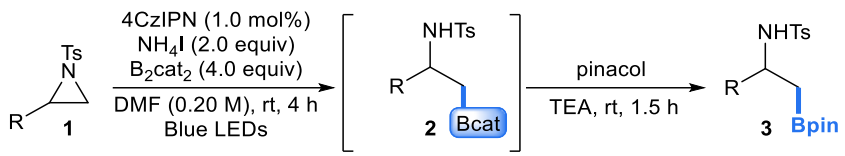


A flame-*d*ried round bottom flask, equipped with a stir bar, was charged with benzyltriethylammonium chloride (228 mg, 1.0 mmol, 0.05 equiv), iodine (507 mg, 2.0 mmol, 0.1 equiv) and Chloramine-T (5.0 g, 22 mmol, 1.1 equiv) and dissolved in 2:1 CH_2Cl_2/H_2O (25 mL, 0.8 M). Alkene (20 mmol, 1.0 equiv) was added and the mixture was stirred at room temperature for 24 h. The reaction mixture was treated with a saturated aq. $Na_2S_2O_3$ (10 mL) and the aqueous layer was extracted with CH_2Cl_2 (3 times). The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated to

dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the corresponding compounds.

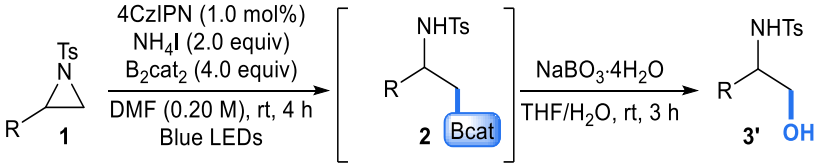
4. General Procedure for Photoinduced Borylation of Aziridines

General procedure E



The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added the corresponding aziridine **1** (0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir under 34 W blue LED irradiation. After 4 h, a solution of pinacol (0.70mmol., 3.5 equiv) in triethylamine (1.0 M) was added to the mixture and stirred for additional 1.5 h. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the desired products.

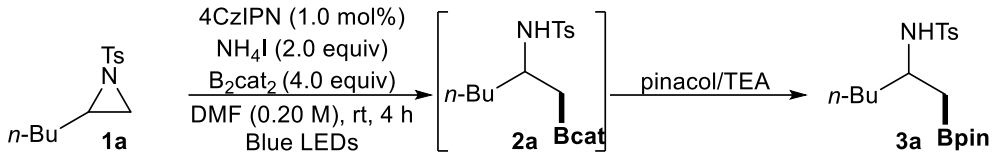
General procedure F



The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added the corresponding aziridine **1** (0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir under 34 W blue LED irradiation. After 4 h, $NaBO_3 \cdot 4H_2O$ in THF/H_2O (0.30M) was added to the mixture and stirred for additional 3 h. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the desired products.

5. Instability Evaluation of β-aminoboronate Products

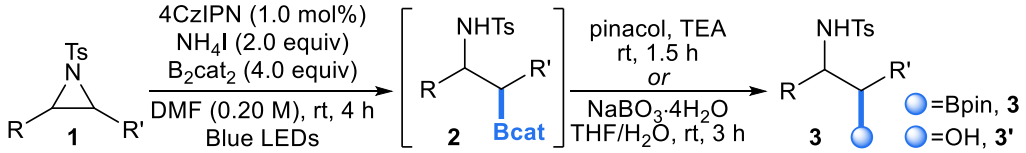
Yield decreasing trend according to the number of isolations

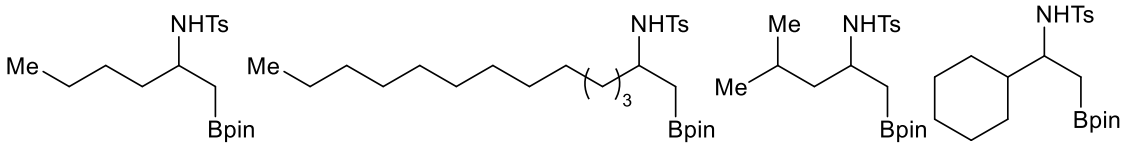


3a	yield	note
Crude mixture	80%*	
1st isolation	62% (47 mg)	
2nd isolation	45% (33 mg)	**73%** remaining after isolation step

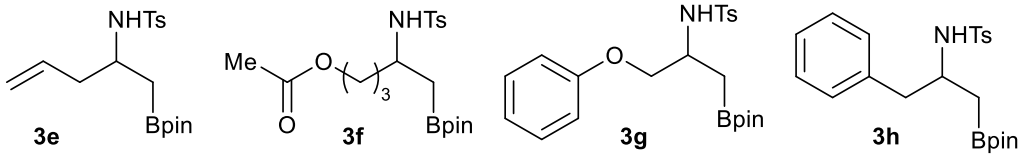
* ^{1}H NMR yield

Table S2. Comparison of the yields of isolated products

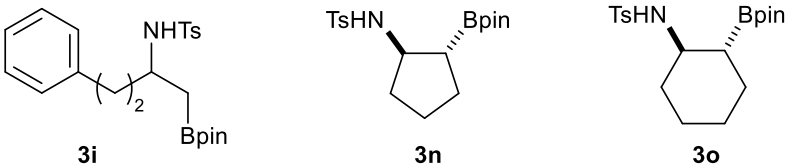




3a	3b	3c	3d
A : 80%	A : 88%	A : 74%	A : 75%
B : 62%	B : 72%	B : 60%	B : 67%
C : 98%	C : 81%	C : 88%	C : 89%



3e	3f	3g	3h
A : 48%	A : 25%	A : 25%	A : 78%
B : 37%	B : 20%	B : 21%	B : 66%
m : 73%	C : 30%	C : 83%	C : 97%



3i	3n	3o
A : 57%	A : 41%	A : 38%
B : 46%	B : 25%	B : 22%
C : 85%	C : 56%	C : 40%

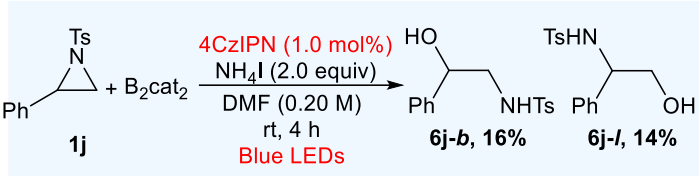
A : ^{1}H NMR yield of **3**

B : Yield of the isolated product **3**

C : Yield of the isolated amino alcohol product **3'**

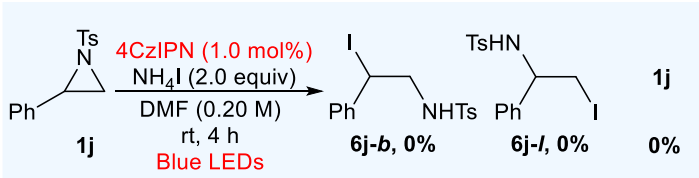
6. Investigation of modified reaction conditions for aziridines derived from styrene

The reaction of styrene derived aziridine under photocatalytic conditions (General procedure F)



The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added the corresponding aziridine **1** (0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir under 34 W blue LED irradiation. After 4 h, $NaBO_3 \cdot 4H_2O$ in THF/H_2O (0.30M) was added to the mixture and stirred for additional 3 h. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude residue was analyzed by ^{1}H NMR relative to 1,1,2,2-tetrachloroethane (10 µL, 0.094 mmol) as an external standard.

Control experiments of 1j with iodide salts and photocatalyst under Blue light irradiation



The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added the corresponding aziridines (**1**) (0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), NH_4I (58 mg, 0.80 mmol, 2.0 equiv) and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir for 4 h under 34W blue LED irradiation. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude residue was analyzed by ^{1}H NMR relative to 1,1,2,2-tetrachloroethane (10 µL, 0.094 mmol) as an external standard.

The result showed that virtually neither iodiamine intermediate nor **1j** remained. This observation suggested that the presence of the photocatalytic system not only prevented the generation of β-

iodoamine intermediate, but also had a destructive effect on the entire system by decomposing the starting material.

7. A Summary of Reactions with Challenging Substrates

Table S3. A summary of experimental trials with challenging substrates.

Trials for application of various aziridines and (hetero)cyclic compounds for optimized conditions.

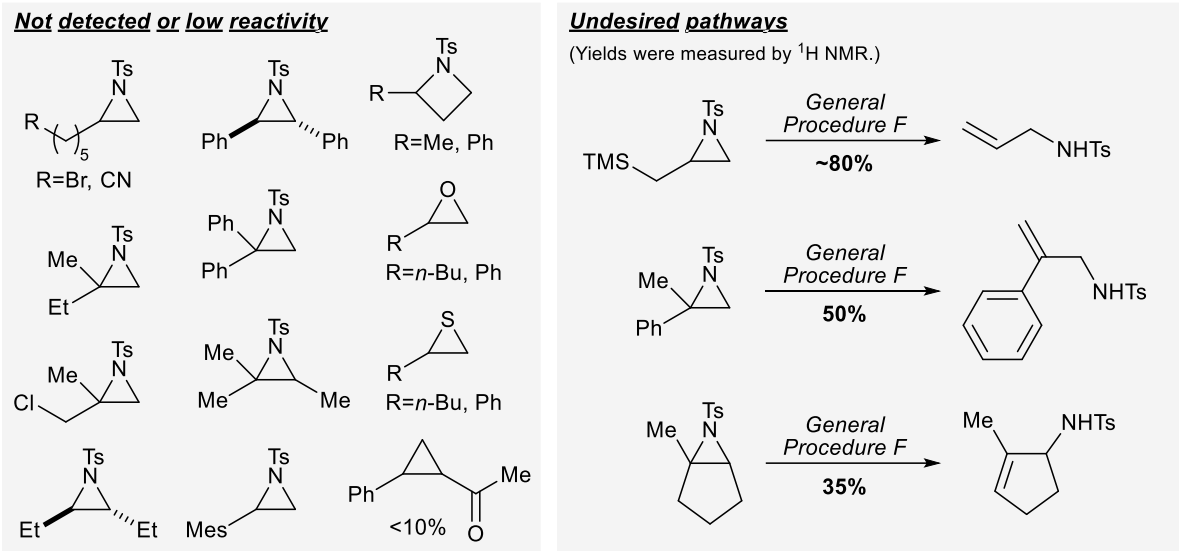
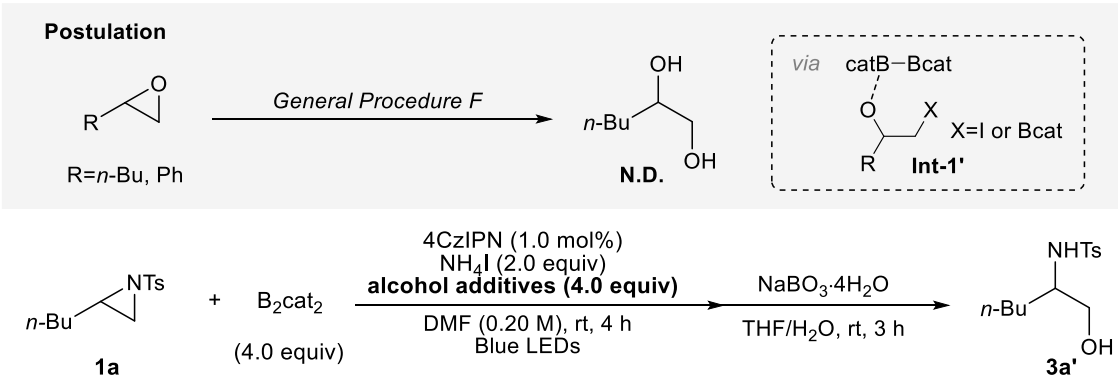


Table S4. Postulation of inhibition effect of alcohols and control experiments with alcohol additives

Why epoxide do not afford the desired product?
– Control experiments with Inhibition Effect of Alcohol Additives



additives	result[a]
-	99%
2-pentanol	62%
CH_3OH	52%

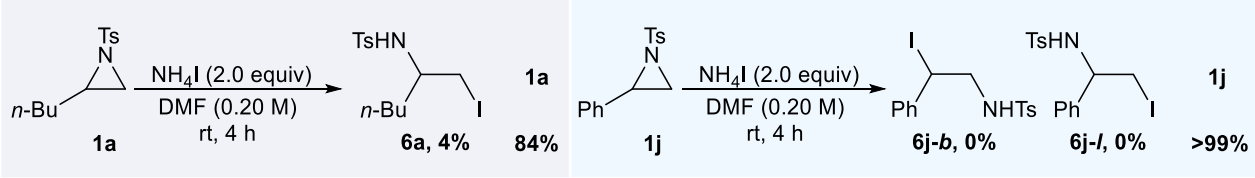
[a]Yields were determined by ^{1}H NMR analysis using 1,1,2,2-tetrahcloroethane as an external standard.

In evaluating the reactivity of the developed conditions, we applied not only aziridines but also epoxides as the strained cyclic compounds. However, this class of compounds did not show the required level of reactivity in the first place. We hypothesized that the generation of the alcohol (or the alkoxide form thereof) during the reaction could inhibit the further process by the coordination to a vacant p orbital of the boron reagent. To evaluate our postulation, control experiments were conducted on the modified

reaction condition with **1a**. The yield of the corresponding β-amino alcohol, **3a'**, was diminished by the treatment of additional alcohol additives. As a result, the postulated effect of alcohol may affect the efficiency of the reaction.

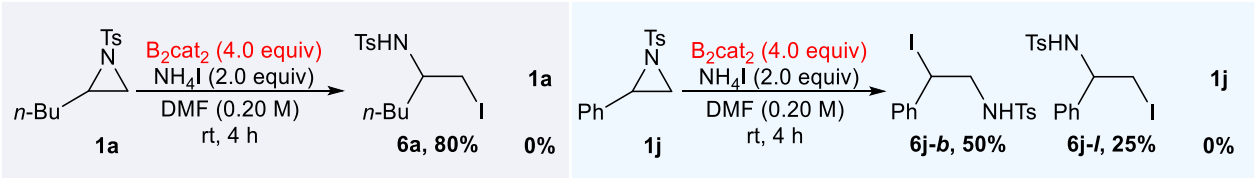
8. Mechanistic Studies

Ring opening experiments with iodide salts



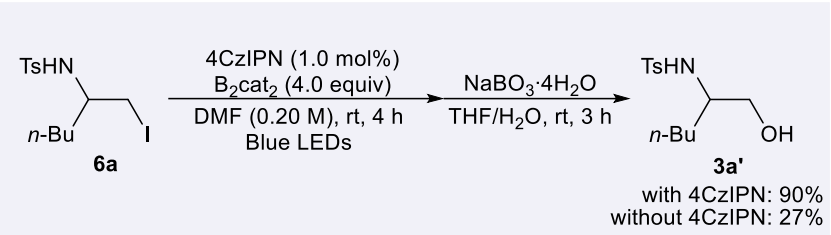
The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added the corresponding aziridines (**1**) (0.20 mmol, 1.0 equiv), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir for 4 h at room temperature. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude residue was analyzed by ^{1}H NMR relative to 1,1,2,2-tetrachloroethane (10 µL, 0.094 mmol) as an external standard.

Ring opening experiments with iodide salts and B_2cat_2



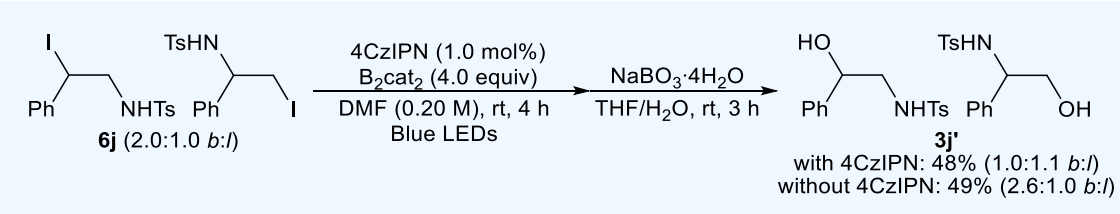
The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added the corresponding aziridines (**1**) (0.20 mmol, 1.0 equiv), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir for 4 h at room temperature. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude residue was analyzed by ^{1}H NMR relative to 1,1,2,2-tetrachloroethane (10 µL, 0.094 mmol) as an external standard.

Visible-light mediated radical borylation of *N*-(1-iodohexan-2-yl)-4-methylbenzenesulfonamide



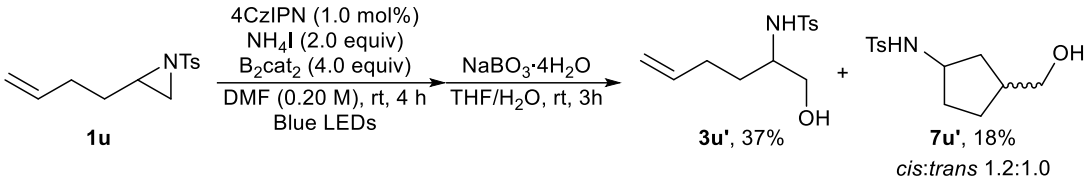
To an 8 mL vial equipped with a PTFE-coated stirrer bar were added **6a** (76.2 mg, 0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir for 4 h under 34 W blue LED irradiation. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude residue was analyzed by ^{1}H NMR relative to 1,1,2,2-tetrachloroethane (10 µL, 0.094 mmol) as an external standard.

Visible-light mediated radical borylation of *N*-(2-iodo-1 or 2-phenylethyl)-4-methylbenzenesulfonamide



To an 8 mL vial equipped with a PTFE-coated stirrer bar were added **6j** (80.2 mg, 0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir for 4 h under 34 W blue LED irradiation. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude residue was analyzed by ^{1}H NMR relative to 1,1,2,2-tetrachloroethane (10 µL, 0.094 mmol) as an external standard.

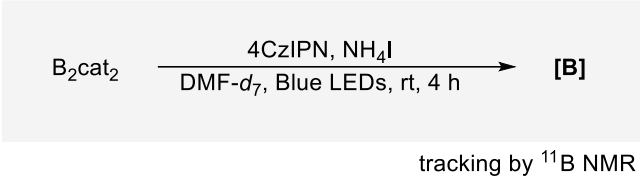
Radical cyclization experiments



The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added 2-(but-3-en-1-yl)-1-tosylaziridine **1u** (0.20 mmol, 1.0 equiv), 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir for 4 h under 34 W blue LED irradiation. The reaction mixture was transferred to a separatory funnel and partitioned between water (7 mL) and Et_2O (20 mL). The organic layer was washed with water (7 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness. The crude mixture was purified by flash column chromatography on silica gel eluting with a mixture of EtOAc in hexanes to give the desired amino alcohol product (37%) and cyclized amino alcohol product (18%, *cis*:*trans* 1.2:1.0).

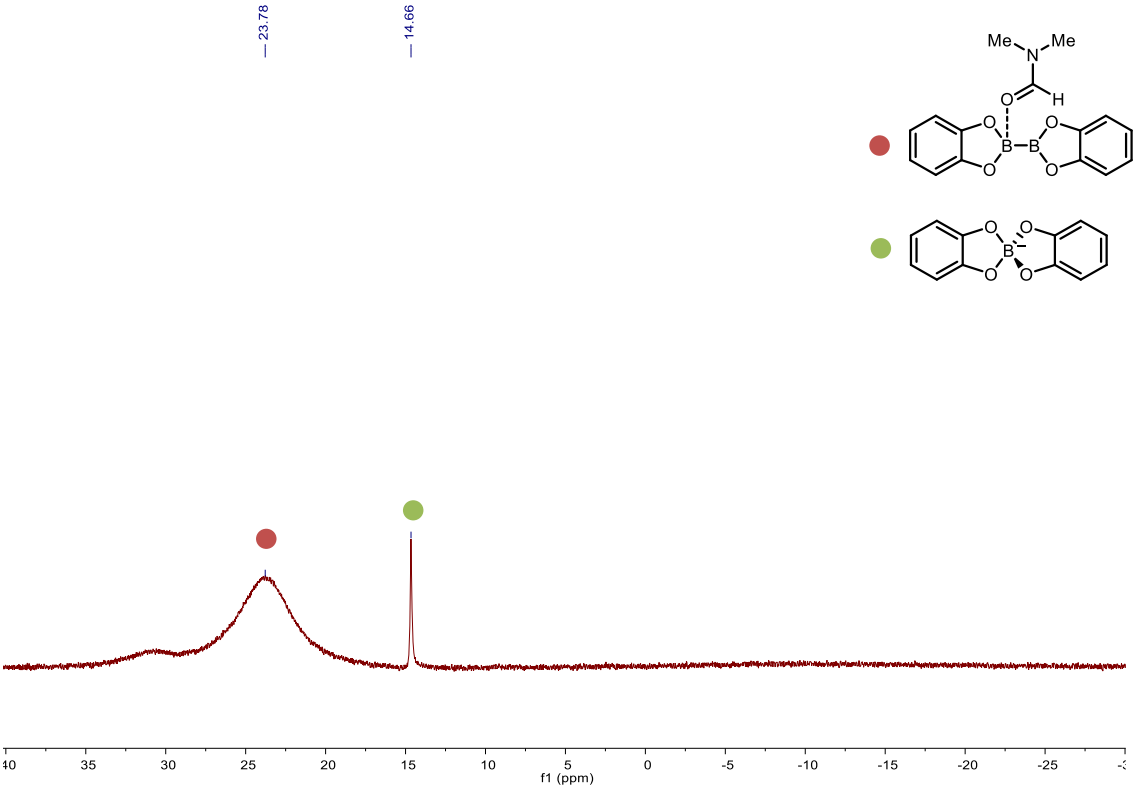
9. Miscellaneous experiments

Control experiment; an exclusion of aziridine to investigate what happens to the rest of B_2cat_2



The reaction was set up in a nitrogen-filled glove box. To an 8 mL vial equipped with a PTFE-coated stirrer bar were added 4CzIPN (1.6 mg, 0.0020 mmol, 1.0 mol%), NH_4I (58 mg, 0.80 mmol, 2.0 equiv), B_2cat_2 (190 mg, 0.80 mmol, 4.0 equiv), and DMF-d_7 (1.0 mL, 0.20 M). The reaction vial was removed from the glove box, set to stir under 34 W blue LED irradiation. The crude mixture was directly transfer to quartz-NMR tube after passed through short silica filter for analysis.

B_2cat_2 in DMF-d_7



B_2cat_2 with 4CzIPN and NH_4I under light irradiation for 4 h in DMF-d_7

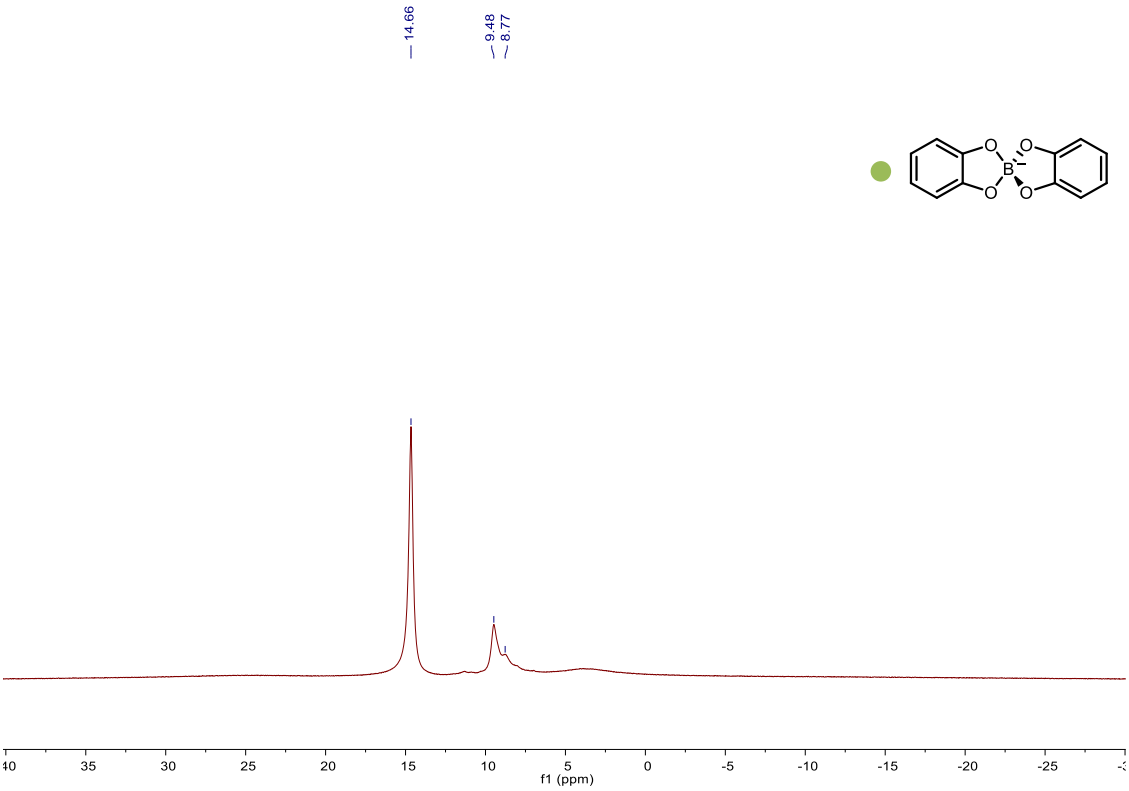
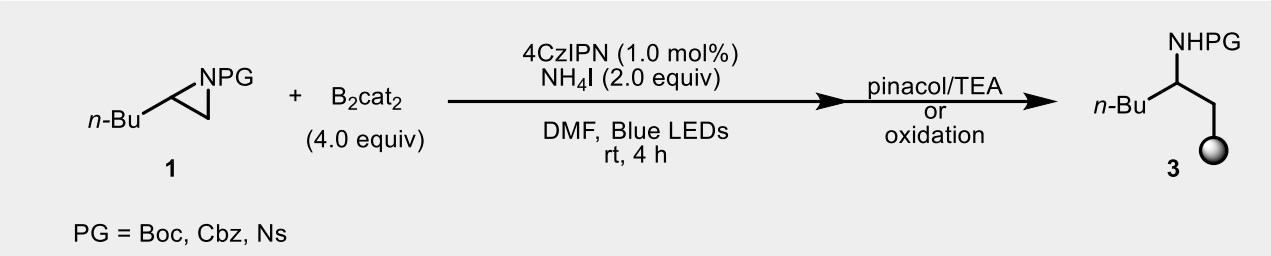


Figure S1. ^{11}B NMR studies

Based on ^{11}B NMR analysis, the formation of bis(catecholato)boronate[5] was observed as the major boron species under our reaction conditions. An existence of competitive pathway that undesirably consumes the diboron reagent suggest the necessary use of 4 equivalents of the reagent.

Attempts to use other protecting groups

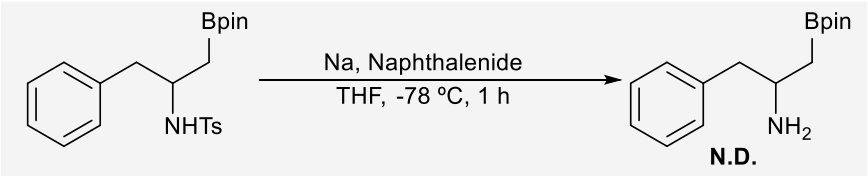
Table S5. Attempts to use other protecting groups instead of the tosyl group



PG	Aziridine	Desired[a] (3)	Note
Boc	O	N.D.	Boc group seems to have disappeared.
Cbz	O	N.D.	Cbz group seems to have disappeared.
Ns	O	N.D.	100% conversion, a aziridine different from 1 was formed.

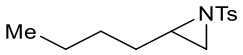
[a] Yields were determined by ^{1}H NMR analysis using 1,1,2,2-tetrachloroethane as an internal standard. N.D.=not detected.

Experiment for removing tosyl group of 3h[6]



Naphthalene (256 mg, 2.0 mmol) was added to a vigorously stirred suspension of sodium (46 mg, 2.0 mmol; washed free of oil in hexanes) in tetrahydrofuran (4 mL) under nitrogen at 25 °C. The resulting green suspension was stirred for 1 h at 25 °C, then was transferred to a solution of **3h** (40 mg, 0.1 mmol) in THF (4 mL) cooled at -78 °C. Portion-wise addition of this suspension to the reaction solution was ceased upon formation of a persistent, dark-green reaction solution. The dark-green solution was stirred at -78 °C for 1 h. Water (1 mL) was added to the solution at -78 °C. The resulting suspension was stirred at -78 °C for 2 min, then warm to ambient temperature. The organic layer was separated and the aqueous layer was extracted with CH_2Cl_2 (5 mL x 3), dried over anhydrous Na_2SO_4 and concentrated to dryness.

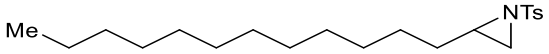
10. Characterization data of synthesized compounds



2-butyl-1-tosylaziridine (**1a**)

Following the general procedure A, compound **1a** was prepared from 1-hexene (colorless oil, 60%).

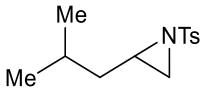
δ_H (400 MHz, Chloroform-*d*) 7.82 (2 H, d, *J* 8.2), 7.33 (2 H, d, *J* 8.0), 2.75–2.62 (1 H, m), 2.63 (1 H, d, *J* 7.0), 2.44 (3 H, s), 2.05 (1 H, d, *J* 4.6), 1.58–1.50 (1 H, m), 1.38–1.28 (1 H, m), 1.27–1.18 (4 H, m), 0.81 (3 H, t, *J* 6.9). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



2-*d*odecyl-1-tosylaziridine (**1b**)

Following the general procedure A, compound **1b** was prepared from 1-tetradecene (colorless oil, 51%).

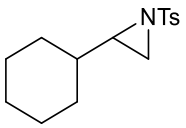
δ_H (500 MHz, Chloroform-*d*) 7.83 (2 H, d, *J* 7.7), 7.33 (2 H, d, *J* 7.9), 2.74-2.66 (1 H, m), 2.62 (1 H, d, *J* 7.0), 2.43 (3 H, s), 2.05 (1 H, d, *J* 4.5), 1.62–1.47 (1 H, m), 1.44–1.07 (21 H, m), 0.91 (3 H, t, *J* 6.5). δ_c (126 MHz, Chloroform-*d*) 144.23, 135.30, 129.54, 127.94, 40.27, 33.56, 31.92, 31.28, 29.68, 29.66, 29.47, 29.45, 29.37, 29.01, 26.76, 22.68, 21.46, 14.10. HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{21}H_{35}NNaO_2S$: 388.2286, found: 388.2281.



2-isobutyl-1-tosylaziridine (**1c**)

Following the general procedure A, compound **1c** was prepared from 4-methylpent-1-ene (colorless oil, 37%).

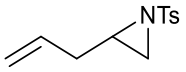
δ_H (500 MHz, Chloroform-*d*) 7.83 (2 H, d, *J* 8.2), 7.33 (2 H, d, *J* 8.0), 2.82–2.76 (1 H, m), 2.63 (1 H, d, *J* 7.0), 2.45 (3 H, s), 2.02 (1 H, d, *J* 4.6), 1.67–1.54 (1 H, m), 1.39–1.28 (2 H, m), 0.88 (6 H, dd, *J* 6.7, 2.4). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



2-cyclohexyl-1-tosylaziridine **(1d)**

Following the general procedure A, compound **1d** was prepared from vinylcyclohexene (white solid, 45%).

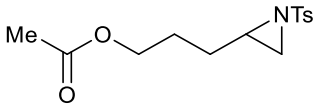
δ_H (500 MHz, Chloroform-*d*) 7.82 (2 H, d, *J* 8.3), 7.33 (2 H, d, *J* 7.9), 2.60 (1 H, d, *J* 7.0), 2.54 (1 H, td, *J* 7.2, 4.6), 2.45 (3 H, s), 2.10 (1 H, d, *J* 4.6), 1.73–1.59 (4 H, m), 1.53–1.46 (1 H, m), 1.25–0.83 (6 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



2-allyl-1-tosylaziridine **(1e)**

Following the general procedure A, compound **1e** was prepared from 1,4-pentadiene (colorless oil, 30%).

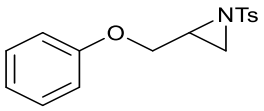
δ_H (500 MHz, Chloroform-*d*) 7.81 (2 H, d, *J* 8.3), 7.33 (3 H, d, *J* 8.1), 5.63–5.55 (1 H, m), 5.11–4.93 (2 H, m), 2.82–2.77 (1 H, m), 2.63 (1 H, d, *J* 6.9), 2.44 (3 H, s), 2.32–2.13 (2 H, m), 2.09 (1 H, d, *J* 4.5). The identity of synthesized product was confirmed based on reported NMR spectra.[7]



3-(1-tosylaziridin-2-yl)propyl acetate **(1f)**

Following the general procedure A, compound **1f** was prepared from 4-pentenyl acetate (colorless oil, 40%).

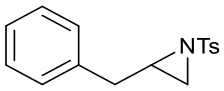
δ_H (500 MHz, Chloroform-*d*) 7.82 (2 H, d, *J* 8.3), 7.34 (2 H, d, *J* 8.0), 4.01 (2 H, q, *J* 6.0), 2.79–2.74 (1 H, m), 2.63 (1 H, d, *J* 6.9), 2.45 (3 H, s), 2.08 (1 H, d, *J* 4.5), 2.02 (3 H, s), 1.73–1.65 (1 H, m), 1.65–1.58 (2 H, m), 1.40–1.34 (1 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



2-(phenoxymethyl)-1-tosylaziridine **(1g)**

Following the general procedure A, compound **1g** was prepared from (allyloxy)benzene (white solid, 45%).

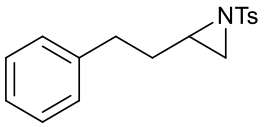
δ_H (500 MHz, Chloroform-*d*) 7.83 (2 H, d, *J* 8.3), 7.34–7.30 (2 H, m), 7.23 (2 H, dd, *J* 8.7, 7.3), 6.96–6.91 (1 H, m), 6.73 (2 H, d, *J* 7.8), 4.05 (1 H, dd, *J* 10.8, 4.4), 3.93 (1 H, dd, *J* 10.8, 6.1), 3.18–3.12 (1 H, m), 2.80 (1 H, d, *J* 7.1), 2.45 (3 H, s), 2.35 (1 H, d, *J* 4.4). The identity of synthesized product was confirmed based on reported NMR spectra.[8]



2-benzyl-1-tosylaziridine **(1h)**

Following the general procedure A, compound **1h** was prepared from allylbenzene (white solid, 30%).

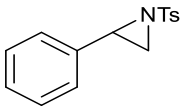
δ H (500 MHz, Chloroform-*d*) 7.68 (2 H, d, *J* 8.4), 7.21 (2 H, d, *J* 8.0), 7.17–7.13 (3 H, m), 7.08–7.02 (2 H, m), 2.98–2.91 (1 H, m), 2.85–2.65 (3 H, m), 2.42 (3 H, s), 2.16 (1 H, d, *J* 4.5). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



2-phenethyl-1-tosylaziridine **(1i)**

Following the general procedure A, compound **1i** was prepared from 4-phenyl-1-butene (white solid, 60%).

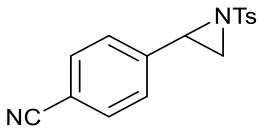
δ_H (400 MHz, Chloroform-*d*) 7.83 (2 H, d, *J* 8.0), 7.34 (2 H, d, *J* 8.0), 7.30–7.23 (2 H, m), 7.19 (1 H, d, *J* 7.4), 7.11 (2 H, d, *J* 7.4), 2.77 (1 H, tt, *J* 7.5, 4.6), 2.64–2.56 (3 H, m), 2.45 (3 H, s), 2.05 (1 H, d, *J* 4.4), 1.93–1.82 (1 H, h, *J* 7.5), 1.74–1.61 (1 H, dq, *J* 14.6, 7.5). The identity of synthesized product was confirmed based on reported NMR spectra.[9]



2-phenyl-1-tosylaziridine **(1j)**

Following the general procedure B, compound **1j** was prepared from styrene (white solid, 50%).

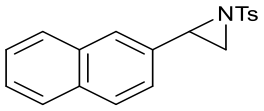
δ_H (400 MHz, Chloroform-*d*) 7.85 (2 H, d, *J* 8.0), 7.31 (2 H, d, *J* 8.0), 7.28–7.16 (6 H, m), 3.76 (1 H, dd, *J* 7.2, 4.5), 2.96 (1 H, d, *J* 7.2), 2.41 (3 H, s), 2.37 (1 H, d, *J* 4.5). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



4-(1-tosylaziridin-2-yl)benzonitrile **(1k)**

Following the general procedure C, compound **1k** was prepared from 4-cyanostyrene (white solid, 66%).

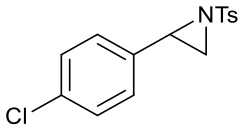
δ_H (400 MHz, Chloroform-*d*) 7.86 (2 H, d, *J* 8.3), 7.59 (2 H, d, *J* 8.3), 7.35 (4 H, dd, *J* 8.4, 2.8), 3.80 (1 H, dd, *J* 7.2, 4.3), 3.02 (1 H, d, *J* 7.2), 2.45 (3 H, s), 2.35 (1 H, d, *J* 4.3). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



2-(naphthalen-2-yl)-1-tosylaziridine **(1l)**

Following the general procedure D, compound **1l** was prepared from 2-vinylnaphthalene (white solid, 70%).

δ_H (400 MHz, Chloroform-*d*) 7.90 (2 H, d, *J* 8.1), 7.82–7.71 (4 H, m), 7.47 (2 H, td, *J* 5.8, 5.4, 3.0), 7.33 (2 H, d, *J* 8.0), 7.27 (1 H, d, *J* 8.0), 3.93 (1 H, dd, *J* 7.2, 4.5), 3.07 (1 H, d, *J* 7.2), 2.50 (1 H, d, *J* 4.4), 2.42 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[10]



2-(4-chlorophenyl)-1-tosylaziridine **(1m)**

Following the general procedure B, compound **1m** was prepared from 4-chlorostyrene (white solid, 60%).

δ_H (400 MHz, Chloroform-*d*) 7.85 (2 H, d, *J* 8.1), 7.34 (2 H, d, *J* 8.0), 7.29–7.24 (2 H, m), 7.15 (2 H, d, *J* 8.4), 3.73 (1 H, dd, *J* 7.2, 4.4), 2.98 (1 H, d, *J* 7.2), 2.44 (3 H, s), 2.34 (1 H, d, *J* 4.4). The identity of synthesized product was confirmed based on reported NMR spectra.[10]

6-tosyl-6-azabicyclo[3.1.0]hexane **(1n)**

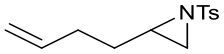
Following the general procedure B, compound **1n** was prepared from cyclopentene (white solid, 60%).

δ_H (400 MHz, Chloroform-*d*) 7.81 (2 H, d, *J* 8.2), 7.32 (2 H, d, *J* 7.9), 3.33 (2 H, d, *J* 1.6), 2.44 (3 H, s), 1.94 (2 H, dd, *J* 13.2, 7.8), 1.69–1.52 (3 H, m), 1.48–1.30 (1 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[1]

7-tosyl-7-azabicyclo[4.1.0]heptane **(1o)**

Following the general procedure D, compound **1o** was prepared from cyclohexene (white solid, 80%).

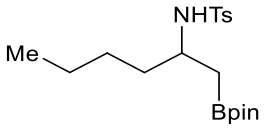
δ_H (400 MHz, Chloroform-*d*) 7.81 (2 H, d, *J* 8.3), 7.32 (2 H, d, *J* 7.9), 3.04–2.89 (2 H, m), 2.44 (4 H, s), 1.90–1.72 (5 H, m), 1.45–1.34 (3 H, m), 1.29–1.16 (3 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[10]



2-(but-3-en-1-yl)-1-tosylaziridine **(1u)**

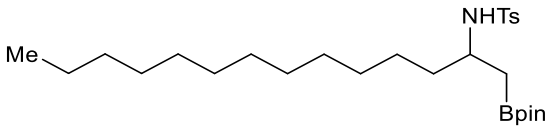
Following the general procedure A, compound **1u** was prepared from 1,5-hexadiene (colorless liquid ,56%).

δ_H (400 MHz, Chloroform-*d*) 7.82 (2 H, d, *J* 8.2), 7.34 (2 H, d, *J* 8.0), 5.72 (1 H, ddt, *J* 17.0, 10.3, 6.6), 5.00–4.91 (2 H, m), 2.81–2.72 (1 H, m), 2.63 (1 H, d, *J* 7.0), 2.45 (3 H, s), 2.08 (1 H, d, *J* 4.6), 2.06–1.98 (2 H, m), 1.70–1.62(1 H, m), 1.45 (1 H, td, *J* 14.5, 7.4). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



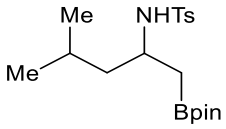
4-methyl-N-(1-(4,4,5,5-tetramethyl-1,3,2-*d*ioxaborolan-2-yl)hexan-2-yl)benzenesulfonamide (**3a**)

δ_H (400 MHz, Chloroform-*d*) 7.75 (2 H, d, *J* 8.0), 7.26 (2 H, d, *J* 8.1), 5.06 (1 H, d, *J* 9.4), 3.48 – 3.37 (1 H, m), 2.40 (3 H, s), 1.45 – 1.26 (4 H, m), 1.21 (12 H, d, *J* 8.0), 1.19 – 1.12 (2 H, m), 0.88 – 0.73 (5 H, m). δ_C (101 MHz, Chloroform-*d*) 143.05, 138.93, 129.63, 127.14, 83.64, 51.15, 37.16, 28.14, 24.96, 24.78, 22.44, 21.63, 14.04. δ_B (161 MHz, Chloroform-*d*) 33.37. HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{19}H_{32}BNNaO_4S$: 404.20373, found: 404.2029.



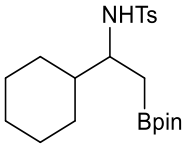
4-methyl-N-(1-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)tetradecan-2-yl)benzenesulfonamide (**3b**)

δ_H (500 MHz, Chloroform-*d*) 7.75 (2 H, d, *J* 8.3), 7.26 (2 H, d, *J* 8.0), 5.06 (1 H, d, *J* 9.4), 3.42 (1 H, m), 2.40 (3 H, s), 1.33 – 1.11 (34 H, m), 0.86 (5 H, m). δ_C (126 MHz, Chloroform-*d*) δ 143.01, 138.90, 129.61, 127.14, 83.62, 51.16, 37.44, 32.04, 29.80, 29.79, 29.78, 29.76, 29.69, 29.66, 29.60, 29.49, 29.37, 25.96, 24.95, 24.77, 22.82, 21.62, 14.26. δ_B (161 MHz, Chloroform-*d*) 33.96. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{27}H_{49}BNO_4S$: 494.34699, found: 494.3459.



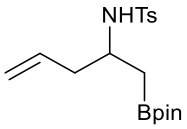
4-methyl-N-(4-methyl-1-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pentan-2-yl)benzenesulfonamide (**3c**)

δ_H (400 MHz, Chloroform-*d*) 7.75 (2 H, d, *J* 7.9), 7.26 (2 H, d, *J* 8.0), 5.05 (1 H, d, *J* 9.7), 3.51 (1 H, m), 2.40 (3 H, s), 1.63 (1 H, h, *J* 6.9), 1.33 (1 H, m), 1.21 (12 H, d, *J* 8.5), 1.14 – 1.06 (1 H, m), 0.84 – 0.75 (8 H, m). δ_C (101 MHz, Chloroform-*d*) 143.06, 138.97, 129.63, 127.15, 83.62, 49.31, 46.87, 24.96, 24.77, 24.71, 22.86, 22.16, 21.63. δ_B (161 MHz, Chloroform-*d*) 33.45. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{19}H_{33}BNO_4S$: 382.22179, found: 382.2207



N-(1-cyclohexyl-2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)ethyl)-4-methylbenzenesulfonamide (**3d**)

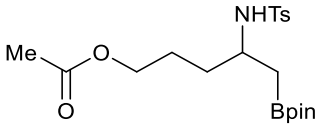
δ_H (400 MHz, Chloroform-*d*) 7.74 (2 H, d, *J* 8.0), 7.25 (2 H, d, *J* 8.0), 5.18 (1 H, d, *J* 9.7), 3.24 (1 H, dtd, *J* 10.1, 6.7, 3.5), 2.40 (3 H, s), 1.85 – 1.49 (6 H, m), 1.20 (12 H, d, *J* 8.3), 1.13 – 1.03 (3 H, m), 0.93 – 0.80 (3 H, m), 0.61 (1 H, dd, *J* 16.3, 6.6). δ_C (101 MHz, Chloroform-*d*) 142.97, 138.94, 129.59, 127.12, 83.62, 55.85, 43.80, 29.50, 29.41, 26.34, 26.25, 26.21, 24.86, 24.75, 21.63. δ_B (161 MHz, Chloroform-*d*) 33.11 HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{21}H_{35}BNO_4S$: 408.23744, found: 408.2359



4-methyl-N-(1-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)pent-4-en-2-yl)benzenesulfonamide (**3e**)

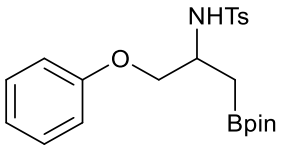
δ_H (400 MHz, Chloroform-*d*) 7.73 (2 H, d, *J* 8.0), 7.25 (2 H, d, *J* 7.8), 5.61 (1 H, td, *J* 17.2, 7.2), 5.04 (1 H, d, *J* 8.8), 4.97 (2 H, t, *J* 12.9), 3.51 (1 H, dd, *J* 15.8, 9.8), 2.39 (4 H, s), 2.26 – 2.05 (2 H, m), 1.20 (12 H, d, *J* 5.3), 0.94 (1 H, dd, *J* 16.3, 4.1), 0.84 (1 H, dd, *J* 16.3, 6.7). δ_C (101 MHz, Chloroform-*d*) 143.17, 138.64,

134.23, 129.67, 127.19, 118.33, 83.69, 50.66, 41.65, 24.95, 24.84, 21.65. δ_B (161 MHz, Chloroform-*d*) 32.96. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{18}H_{29}BNO_4S$: 366.19049, found: 366.1895



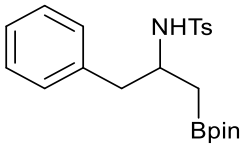
4-((4-methylphenyl)sulfonamido)-5-(4,4,5,5-tetramethyl-1,3,2-*d*ioxaborolan-2-yl)pentyl acetate (**3f**)

δ_H (400 MHz, Chloroform-*d*) 7.72 (2 H, d, *J* 8.2), 7.25 (2 H, d, *J* 6.7), 5.11 (1 H, d, *J* 9.5), 4.01 – 3.90 (2 H, m), 3.50 – 3.35 (1 H, m), 2.39 (3 H, s), 1.99 (3 H, s), 1.72 – 1.63 (1 H, m), 1.60 – 1.52 (1 H, m), 1.40 (2 H, ddd, *J* 15.6, 9.2, 5.9), 1.19 (12 H, d, *J* 8.2), 0.79 (2 H, qd, *J* 16.5, 5.2). δ_C (101 MHz, Chloroform-*d*) 171.22, 143.23, 138.69, 129.71, 127.08, 83.76, 64.15, 50.84, 33.79, 25.30, 24.94, 24.75, 21.66, 21.07. δ_B (161 MHz, Chloroform-*d*) 33.17. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{20}H_{33}BNO_6S$: 426.21162, found: 426.2103



4-methyl-N-(1-phenoxy-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)propan-2-yl)benzenesulfonamide (**3g**)

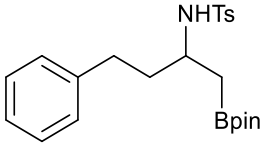
δ_H (400 MHz, Chloroform-*d*) 7.76 (2 H, d, *J* 8.2), 7.27 – 7.20 (4 H, m), 6.93 (1 H, t, *J* 7.4), 6.75 (2 H, d, *J* 8.1), 5.33 (1 H, d, *J* 8.2), 3.92 (1 H, dd, *J* 8.8, 4.0), 3.87 – 3.76 (2 H, m), 2.39 (3 H, s), 1.21 (12 H, s), 1.11 (2 H, d, *J* 7.2). δ_C (101 MHz, Chloroform-*d*) 158.44, 143.31, 138.27, 129.70, 129.50, 127.17, 121.22, 114.82, 83.78, 70.92, 50.26, 24.96, 24.90, 21.63. δ_B (161 MHz, Chloroform-*d*) 33.66. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{22}H_{31}BNO_5S$: 432.20105, found: 432.1997



4-methyl-N-(1-phenyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)propan-2-yl)benzenesulfonamide (**3h**)

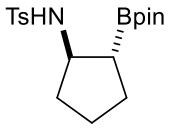
δ_H (400 MHz, Chloroform-*d*) 7.66 (2 H, d, *J* 8.2), 7.24 – 7.15 (5 H, m), 7.04 (2 H, d, *J* 6.7), 5.10 (1 H, d, *J* 8.7), 3.73 – 3.62 (1 H, m), 2.80 (1 H, dd, *J* 13.4, 6.0), 2.65 (1 H, dd, *J* 13.5, 7.7), 2.39 (3 H, s), 1.24 (12 H, d, *J* 6.3), 0.93 (2 H, dd, *J* 5.3, 2.5). δ_C (101 MHz, Chloroform-*d*) 143.02, 138.28, 137.85, 129.65, 129.55,

128.50, 127.11, 126.53, 83.70, 52.40, 43.50, 24.99, 24.94, 21.63, 21.56. δ_B (161 MHz, Chloroform-*d*) 33.16. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{22}H_{31}BNO_4S$: 416.20614, found: 416.2060



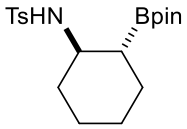
4-methyl-N-(4-phenyl-1-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)butan-2-yl)benzenesulfonamide (**3i**)

δ_H (400 MHz, Chloroform-*d*) 7.75 (2 H, d, *J* 7.8), 7.25 (5 H, dd, *J* 11.4, 7.5), 7.09 (2 H, d, *J* 7.5), 5.20 (1 H, d, *J* 9.5), 3.55 – 3.43 (1 H, m), 2.72 – 2.60 (1 H, m), 2.59 – 2.48 (1 H, m), 2.41 (3 H, s), 1.68 (2 H, ddd, *J* 15.1, 13.4, 7.2), 1.21 (11 H, d, *J* 8.3), 0.89 – 0.83 (2 H, m). δ_C (101 MHz, Chloroform-*d*) 143.16, 141.77, 138.74, 129.69, 128.50, 128.43, 127.14, 125.89, 83.72, 50.88, 39.21, 32.31, 24.96, 24.78, 21.63. δ_B (161 MHz, Chloroform-*d*) 33.02. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{23}H_{33}BNO_4S$: 430.22179, found: 430.2205



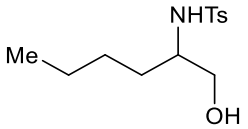
4-methyl-N-(2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)cyclopentyl)benzenesulfonamide (**3n**)

δ_H (400 MHz, Chloroform-*d*) 7.77 (2 H, d, *J* 8.1), 7.28 (2 H, d, *J* 8.0), 4.64 (1 H, d, *J* 5.3), 3.43 (1 H, dt, *J* 14.3, 7.0), 2.41 (3 H, s), 1.93 – 1.73 (2 H, m), 1.42 (4 H, dd, *J* 11.7, 8.0), 1.16 (12 H, s), 1.14 – 1.08 (1 H, m). δ c (126 MHz, Chloroform-*d*) 143.18, 137.67, 129.64, 127.48, 83.53, 57.53, 34.74, 25.95, 24.81, 24.79, 24.25, 21.63. . δ_B (161 MHz, Chloroform-*d*) 33.17. HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{18}H_{28}BNNaO_4S$: 388.17243, found: 388.1711



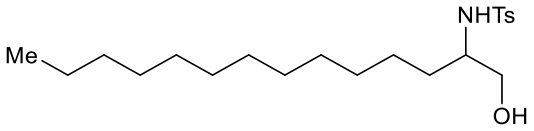
4-methyl-N-(2-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)cyclohexyl)benzenesulfonamide (**3o**)

δ_H (500 MHz, Chloroform-*d*) 7.76 (2 H, d, *J* 8.3), 7.27 (3 H, d, *J* 9.1), 4.72 (1 H, d, *J* 6.2), 3.23 (1 H, dq, *J* 13.8, 4.6, 3.9), 2.41 (3 H, s), 1.39 – 1.22 (5 H, m), 1.20 (13 H, d, *J* 5.5), 1.16 – 1.05 (1 H, m), 0.95 (1 H, td, *J* 10.5, 3.6). δ_C (126 MHz, Chloroform-*d*) 143.05, 138.88, 129.65, 127.23, 83.70, 54.19, 34.23, 29.85, 26.49, 25.81, 24.92, 24.84, 21.65. δ_B (161 MHz, Chloroform-*d*) 33.96. HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{19}H_{31}BNO_4S$: 380.20614, found: 380.2050



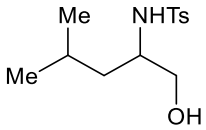
N-(1-hydroxyhexan-2-yl)-4-methylbenzenesulfonamide (**3a'**)

δ_H (400 MHz, Chloroform-*d*) 7.78 (2 H, d, *J* 8.1), 7.30 (2 H, d, *J* 8.0), 4.98 (1 H, d, *J* 7.9), 3.57 (1 H, dd, *J* 11.3, 3.8), 3.47 (1 H, dd, *J* 11.3, 5.3), 3.21 (1 H, tt, *J* 8.0, 6.1, 2.8), 2.42 (3 H, s), 2.31 (1 H, s), 1.48 – 0.93 (6 H, m), 0.74 (3 H, t, *J* 6.9). The identity of synthesized product was confirmed based on reported NMR spectra.[11]



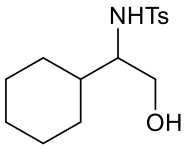
N-(1-hydroxytetradecan-2-yl)-4-methylbenzenesulfonamide (**3b'**)

δ_H (500 MHz, Chloroform-*d*) 7.79 (2 H, d, *J* 8.0), 7.31 (2 H, d, *J* 8.0), 4.98 (1 H, d, *J* 7.7), 3.59 (1 H, dd, *J* 11.2, 3.7), 3.49 (1 H, dd, *J* 11.2, 5.3), 3.23 (1 H, s), 2.43 (3 H, s), 1.51 – 1.00 (22 H, m), 0.89 (3 H, t, *J* 6.9). δ_C (126 MHz, Chloroform-*d*) 143.63, 137.70, 129.82, 127.30, 65.10, 55.79, 32.05, 31.85, 29.80, 29.78, 29.77, 29.63, 29.53, 29.48, 29.31, 25.65, 22.82, 21.65, 14.25. HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{21}H_{37}NNaO_3S$: 406.2392, found: 406.2386.



N-(1-hydroxy-4-methylpentan-2-yl)-4-methylbenzenesulfonamide (**3c'**)

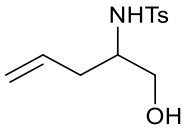
δ_H (400 MHz, Chloroform-*d*) 7.78 (2 H, d, *J* 8.1), 7.30 (2 H, d, *J* 8.0), 5.14 (1 H, d, *J* 8.0), 3.57 (1 H, dd, *J* 11.3, 3.6), 3.44 (1 H, dd, *J* 11.3, 5.0), 3.27 (1 H, dtd, *J* 14.4, 7.9, 4.2), 2.42 (3 H, s), 1.43 (1 H, dt, *J* 13.5, 6.7), 1.31 – 1.15 (2 H, m), 0.75 (3 H, d, *J* 6.6), 0.61 (3 H, d, *J* 6.5). The identity of synthesized product was confirmed based on reported NMR spectra.[12]



N-(1-cyclohexyl-2-hydroxyethyl)-4-methylbenzenesulfonamide (**3d'**)

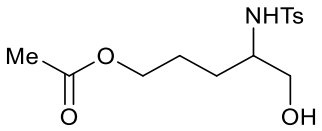
δ_H (400 MHz, Chloroform-*d*) 7.77 (2 H, d, *J* 8.0), 5.01 (1 H, d, *J* 8.4), 3.55 (2 H, qd, *J* 11.5, 4.3), 3.04 (1 H, tt, *J* 9.3, 5.3), 2.42 (3 H, s), 1.73 – 1.37 (6 H, m), 1.18 – 0.73 (6 H, m). δ_C (101 MHz, Chloroform-*d*) 143.55,

137.78, 129.75, 127.27, 62.76, 60.48, 39.21, 29.48, 29.07, 26.21, 26.12, 26.10, 21.67. HRMS (ESI): m/z [M+Na]$^+$ calcd for $C_{15}H_{23}NNaO_3S$: 320.1296, found: 320.1291.



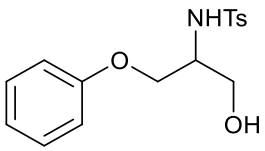
N-(1-hydroxypent-4-en-2-yl)-4-methylbenzenesulfonamide (**3e'**)

δ_H (500 MHz, Chloroform-*d*) 7.76 (2 H, d, *J* 8.2), 7.31 (2 H, d, *J* 8.0), 5.47 (1 H, ddt, *J* 16.0, 10.8, 7.3), 5.07 – 4.94 (2 H, m), 4.83 (1 H, d, *J* 7.1), 3.60 (1 H, dd, *J* 11.3, 4.1), 3.53 (1 H, dd, *J* 11.3, 5.2), 3.31 – 3.24 (1 H, m), 2.43 (3 H, s), 2.18 (2 H, hept, *J* 6.9), 2.03 (1 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[7]



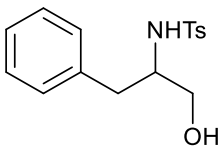
5-hydroxy-4-((4-methylphenyl)sulfonamido)pentyl acetate (**3f'**)

δ_H (400 MHz, Chloroform-*d*) 7.77 (2 H, d, *J* 8.0), 7.30 (2 H, d, *J* 8.0), 5.15 (1 H, d, *J* 8.2), 3.92 (2 H, dt, *J* 6.6, 3.2), 3.50 (2 H, qd, *J* 11.2, 4.2), 3.26 (1 H, dq, *J* 7.9, 3.8), 2.42 (3 H, s), 2.30 (1 H, s), 2.01 (3 H, s), 1.64 – 1.36 (4 H, m). δ_C (101 MHz, Chloroform-*d*) 171.34, 143.77, 137.70, 129.90, 127.19, 64.63, 63.97, 55.22, 28.40, 24.92, 21.68, 21.07. HRMS (ESI): m/z [M+Na]$^+$ calcd for $C_{14}H_{21}NNaO_5S$: 338.1038, found: 338.1033.



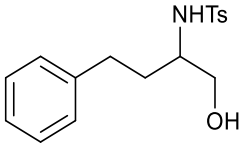
N-(1-hydroxy-3-phenoxypropan-2-yl)-4-methylbenzenesulfonamide (**3g'**)

δ_H (500 MHz, Chloroform-*d*) 7.84 – 7.74 (2 H, m), 7.31 – 7.18 (4 H, m), 6.96 (1 H, t, *J* 7.5), 6.80 – 6.69 (2 H, m), 5.41 (1 H, d, *J* 7.8), 4.00 (1 H, dd, *J* 9.7, 4.7), 3.89 (1 H, dd, *J* 9.6, 5.6), 3.80 (1 H, dd, *J* 11.3, 4.5), 3.69 (1 H, dd, *J* 11.3, 4.8), 3.63 (1 H, dt, *J* 7.8, 4.8), 2.41 (3 H, s), 2.15 (1 H, s). δ_H (126 MHz, Chloroform-*d*) 157.98, 143.84, 137.40, 129.92, 129.64, 127.23, 121.55, 114.50, 66.89, 62.37, 54.10, 21.66. HRMS (ESI): m/z [M+Na]$^+$ calcd for $C_{16}H_{19}NNaO_4S$: 344.0932, found: 344.0927.



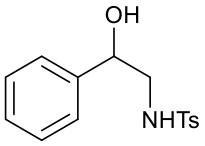
N-(1-hydroxy-3-phenylpropan-2-yl)-4-methylbenzenesulfonamide (**3h'**)

δ_H (400 MHz, Chloroform-*d*) 7.59 (2 H, d, *J* 8.0), 7.23 – 7.14 (5 H, m), 6.97 (2 H, dd, *J* 6.1, 3.0), 5.12 (1 H, d, *J* 7.2), 3.63 (1 H, dd, *J* 11.3, 3.8), 3.52 (1 H, dd, *J* 11.2, 4.8), 3.44 (1 H, tt, *J* 7.5, 4.4), 2.77 (1 H, dd, *J* 13.8, 7.1), 2.67 (1 H, dd, *J* 13.8, 7.2), 2.48 (1 H, s), 2.40 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[13]



N-(1-hydroxy-4-phenylbutan-2-yl)-4-methylbenzenesulfonamide (**3i'**)

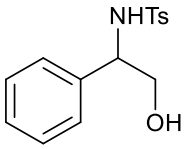
δ_H (500 MHz, Chloroform-*d*) 7.79 – 7.71 (2 H, m), 7.30 (2 H, d, *J* 7.8), 7.25 – 7.20 (2 H, m), 7.16 (1 H, dd, *J* 8.3, 6.2), 6.99 (2 H, d, *J* 7.4), 4.95 (1 H, s), 3.58 (1 H, dt, *J* 11.0, 3.1), 3.51 (1 H, dt, *J* 11.3, 3.3), 3.28 (1 H, s), 2.54 (1 H, ddd, *J* 15.2, 9.3, 6.7), 2.48 – 2.40 (4 H, m), 1.93 (2 H, s), 1.84 – 1.60 (2 H, m). δ_H (101 MHz, Chloroform-*d*) 143.65, 141.11, 137.69, 129.87, 128.48, 128.35, 127.23, 126.06, 64.64, 55.25, 33.40, 31.85, 21.65. HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{17}H_{21}NNaO_3S$: 342.1140, found: 342.1134.



N-(2-hydroxy-2-phenylethyl)-4-methylbenzenesulfonamide (**3j'-*b***)

3j'-*b* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

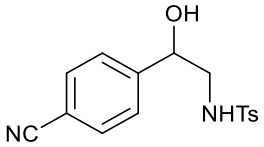
δ_H (400 MHz, Chloroform-*d*) 7.72 (2 H, d, *J* 8.1), 7.37 – 7.20 (7 H, m), 5.07 (1 H, dd, *J* 8.2, 4.6), 4.86 – 4.74 (1 H, m), 3.24 (1 H, ddd, *J* 12.3, 8.3, 3.6), 3.02 (1 H, ddd, *J* 13.2, 8.7, 4.5), 2.59 (1 H, d, *J* 3.6), 2.42 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[14]



N-(2-hydroxy-1-phenylethyl)-4-methylbenzenesulfonamide (**3j'-*l***)

3j'-*l* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

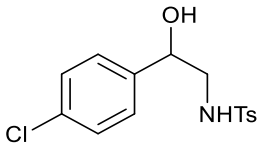
δ $_H$ (400 MHz, Chloroform-*d*) 7.61 (2 H, d, *J* 8.0), 7.24 – 7.14 (5 H, m), 7.14 – 7.06 (2 H, m), 5.32 (1 H, d, *J* 6.6), 4.39 (1 H, q, *J* 5.9), 3.75 (2 H, q, *J* 6.3, 4.5), 2.38 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[15]



N-(2-(4-cyanophenyl)-2-hydroxyethyl)-4-methylbenzenesulfonamide (**3k'-*b***)

3k'-*b* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

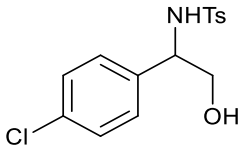
δ $_H$ (400 MHz, Chloroform-*d*) 7.62 – 7.51 (2 H, m), 7.51 – 7.42 (2 H, m), 7.32 – 7.23 (2 H, m), 7.18 (2 H, d, *J* 7.9), 5.91 (1 H, s), 4.49 (1 H, t, *J* 5.3), 3.79 (1 H, dd, *J* 11.4, 4.2), 3.68 (1 H, dd, *J* 11.3, 6.6), 2.39 (3 H, s). δ $_C$ (101 MHz, Chloroform-*d*) 144.06, 143.30, 138.64, 137.79, 136.84, 132.37, 129.75, 128.00, 127.25, 65.72, 59.02, 21.66. HRMS (ESI): m/z $[M-H]^-$ calcd for $C_{16}H_{15}N_2O_3$: 315.0809, found: 315.0807.



N-(2-(4-chlorophenyl)-2-hydroxyethyl)-4-methylbenzenesulfonamide (**3l'-*b***)

3l'-*b* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

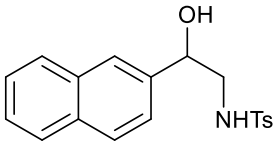
δ $_H$ (400 MHz, Chloroform-*d*) 7.71 (2 H, d, *J* 8.0), 7.32 – 7.27 (4 H, m), 7.22 (2 H, d, *J* 8.2), 4.98 (1 H, t, *J* 6.3), 4.83 – 4.77 (1 H, m), 3.23 (1 H, ddd, *J* 12.1, 7.8, 3.5), 2.99 (1 H, ddd, *J* 13.2, 8.4, 4.5), 2.59 (1 H, s), 2.43 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[13]



N-(1-(4-chlorophenyl)-2-hydroxyethyl)-4-methylbenzenesulfonamide (**3l'-*l***)

3l'-*l* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

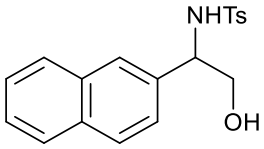
δ_H (400 MHz, Chloroform-*d*) 7.59 (2 H, d, *J* 8.0), 7.18 (4 H, dd, *J* 8.1, 5.3), 7.05 (2 H, d, *J* 8.2), 5.38 (1 H, d, *J* 6.3), 4.38 (1 H, q, *J* 5.8), 3.71 (2 H, dp, *J* 22.8, 5.8), 2.39 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[16]



N-(2-hydroxy-2-(naphthalen-2-yl)ethyl)-4-methylbenzenesulfonamide (**3m'-*b***)

3m'-*b* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

δ_H (400 MHz, Chloroform-*d*) 7.80 (4 H, d, *J* 8.2), 7.70 (2 H, dd, *J* 8.3, 1.9), 7.53 – 7.44 (2 H, m), 7.35 (1 H, d, *J* 8.5), 7.30 (1 H, d, *J* 7.8), 7.28 – 7.21 (2 H, m), 5.11 (1 H, t, *J* 6.3), 5.00 – 4.94 (1 H, m), 3.34 (1 H, ddd, *J* 12.2, 8.0, 3.6), 3.11 (1 H, ddd, *J* 12.9, 8.5, 4.4), 2.72 (1 H, s), 2.42 (3 H, s). The identity of synthesized product was confirmed based on reported NMR spectra.[13]

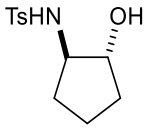


N-(2-hydroxy-1-(naphthalen-2-yl)ethyl)-4-methylbenzenesulfonamide (**3m'-*l***)

3m'-*l* was prepared according to the general procedure E *with the following modifications*: The reaction was conducted without 1,2,3,5-tetrakis(carbazol-9-yl)-4,6-*d*icyanobenzene.

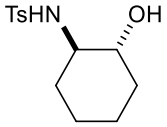
δ_H (400 MHz, Chloroform-*d*) 7.80 – 7.73 (1 H, m), 7.67 (2 H, dd, *J* 11.9, 7.5), 7.58 (2 H, d, *J* 7.9), 7.52 – 7.41 (3 H, m), 7.19 (1 H, dt, *J* 8.5, 1.4), 7.04 (2 H, d, *J* 7.9), 5.47 (1 H, d, *J* 6.7), 4.58 (1 H, q, *J* 5.9), 3.84 (2 H, s), 2.24 (3 H, s), 2.06 (1 H, s). δ_C (101 MHz, Chloroform-*d*) 143.58, 137.09, 134.72, 133.11, 129.87, 129.50, 128.67, 127.97, 127.68, 127.29, 126.44, 126.40, 126.35, 124.53, 66.22, 59.75, 21.46.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{19}H_{19}NNaO_3S$: 364.0978, found: 364.0976.



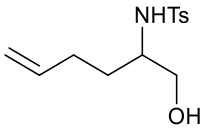
N-(2-hydroxycyclopentyl)-4-methylbenzenesulfonamide (**3n'**)

δ_H (400 MHz, Chloroform-*d*) 7.78 (2 H, d, *J* 8.0), 7.32 (2 H, d, *J* 8.1), 4.99 (1 H, d, *J* 5.9), 4.04 (1 H, q, *J* 6.8), 3.22 (1 H, ddd, *J* 14.1, 8.2, 6.0), 2.89 (1 H, s), 2.43 (3 H, s), 2.04 – 1.82 (2 H, m), 1.69 – 1.26 (4 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[17]



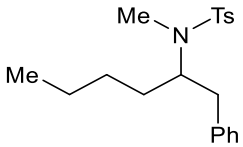
N-(2-hydroxycyclohexyl)-4-methylbenzenesulfonamide (**3o'**)

δ_H (400 MHz, Chloroform-*d*) 7.78 (2 H, d, *J* 7.9), 7.32 (2 H, d, *J* 7.9), 4.76 (1 H, d, *J* 7.0), 3.29 (1 H, q, *J* 8.7, 7.4), 2.91 – 2.74 (1 H, m), 2.53 (1 H, s), 2.43 (3 H, s), 2.02 (1 H, dt, *J* 11.7, 3.8), 1.86 – 1.69 (1 H, m), 1.66 (1 H, dd, *J* 8.6, 3.0), 1.30 – 1.05 (4 H, m). The identity of synthesized product was confirmed based on reported NMR spectra.[13]



N-(1-hydroxyhex-5-en-2-yl)-4-methylbenzenesulfonamide (**3u'**)

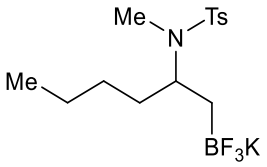
δ_H (500 MHz, Chloroform-*d*) 7.77 (2 H, d, *J* 8.3), 7.31 (3 H, d, *J* 8.0), 5.61 (1 H, ddt, *J* 17.0, 10.3, 6.6), 4.98 (1 H, d, *J* 8.2), 4.94 – 4.79 (2 H, m), 3.56 (1 H, dd, *J* 11.2, 3.8), 3.48 (1 H, dd, *J* 11.2, 4.9), 3.27 (1 H, tt, *J* 7.1, 3.9), 2.43 (3 H, s), 2.17 (0 H, d, *J* 5.8), 2.01 – 1.83 (2 H, m), 1.60 – 1.42 (2 H, m). δ_C (126 MHz, Chloroform-*d*) 143.58, 137.68, 137.22, 129.73, 127.13, 115.39, 64.55, 55.06, 31.00, 29.60, 21.51. HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{13}H_{19}NNaO_3S$: 292.0983, found: 292.0978.



N,4-dimethyl-N-(1-phenylhexan-2-yl)benzenesulfonamide (**4a**)

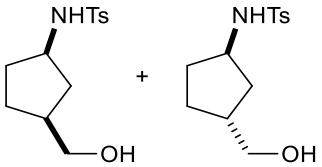
δ_H (400 MHz, Chloroform-*d*) 7.52 (2 H, d, *J* 7.7), 7.29 – 7.16 (5 H, m), 7.10 (2 H, d, *J* 6.7), 4.21 – 4.08 (1 H, m), 2.71 (3 H, s), 2.56 (2 H, t, *J* 7.2), 2.38 (3 H, s), 1.38 (2 H, d, *J* 5.2), 1.29 – 1.10 (4H, m), 0.80 (3 H, t, *J* 5.9). δ_C (101 MHz, Chloroform-*d*) 142.77, 138.48, 137.25, 129.45, 129.14, 128.46, 127.09, 126.33, 58.84, 39.17, 30.88, 28.45, 27.71, 22.33, 21.45, 13.90.

HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{20}H_{28}NO_2S$: 346.18353, found: 346.1824.



N,4-dimethyl-N-(1-(trifluoro-4-boraneyl)hexan-2-yl)benzenesulfonamide, potassium salt (**5a**)

δ_H (500 MHz, Acetone-d_6) 7.70 (2 H, d, *J* 8.4), 7.32 (2 H, d, *J* 7.9), 4.09 (1 H, tt, *J* 10.4, 3.5), 2.87 (3 H, s), 2.53 (3 H, s), 2.38 (3 H, s), 1.68 (1 H, tdd, *J* 13.2, 6.3, 3.0), 1.36 – 1.27 (1 H, m), 1.26 – 1.09 (4 H, m), 0.83 (3 H, t, *J* 6.8), 0.23 – 0.13 (1 H, m), 0.05 – -0.06 (1 H, m). δ_c (126 MHz, Chloroform-*d*) 141.97, 138.51, 129.10, 127.31, 57.21, 57.19, 33.24, 26.53, 22.41, 20.47, 13.66.δ_B (161 MHz, Chloroform-*d*) 4.64. δ_F (471 MHz, Acetone-d_6) -139.06 (d, *J* 80.6). HRMS (ESI): m/z $[M-K]^-$ calcd for $C_{14}H_{22}BF_3NO2S$: 336.14164, found: 336.1422.



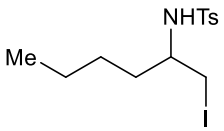
cis : **trans** = 1.2 : 1.0

N-(2-(hydroxymethyl)cyclopentyl)-4-methylbenzenesulfonamide (**7u'**)

6u-*cis* δ_H (400 MHz, Chloroform-*d*) 7.75 (1 H, d, *J* 8.0), 7.28 (1 H, d, *J* 8.2), 5.51 (1 H, d, *J* 7.9), 3.62 (1 H, q, *J* 6.4), 3.52 (1 H, d, *J* 5.0), 2.42 (3 H, s), 2.09 (1 H, q, *J* 7.6, 5.4), 1.93 (1 H, ddd, *J* 13.4, 9.1, 6.7), 1.72 – 1.60 (2 H, m), 1.56 – 1.45 (2 H, m), 1.20 (1 H, tdd, *J* 10.9, 8.0, 3.7). δ_c (126 MHz, Chloroform-*d*) 143.26, 138.19, 129.76, 127.17, 65.95, 54.95, 38.99, 36.63, 33.63, 25.77, 21.65.

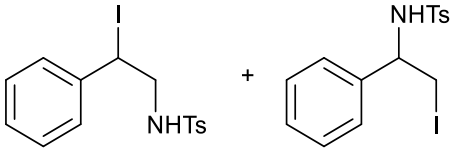
6u-*trans* δ_H (400 MHz, Chloroform-*d*) 7.75 (2 H, d, *J* 8.0), 7.35 – 7.23 (2 H, m), 4.87 (1 H, d, *J* 7.1), 3.62 (1 H, h, *J* 6.2), 3.43 (2 H, dd, *J* 6.7, 2.8), 2.42 (3 H, s), 2.26 – 1.11 (5 H, m). δ_c (126 MHz, Chloroform-*d*) 143.47, 137.81, 129.83, 127.20, 66.71, 54.71, 39.50, 36.36, 33.54, 26.77, 21.65.

HRMS (ESI): m/z $[M+Na]^+$ calcd for $C_{13}H_{19}NNaO_3S$: 292.0983, found: 292.0978.



N-(1-iodohexan-2-yl)-4-methylbenzenesulfonamide (**6a**)

δ_H (400 MHz, Chloroform-*d*) 7.77 (2 H, d, *J* 8.1), 7.31 (2 H, d, *J* 8.0), 4.65 (1 H, d, *J* 8.7), 3.22 (1 H, dd, *J* 10.3, 3.1), 3.15 (1 H, dd, *J* 10.3, 4.8), 2.94 (1 H, tq, *J* 7.7, 3.9), 2.43 (3 H, s), 1.76 (1 H, s), 1.43 (2 H, tt, *J* 7.5, 5.8), 1.30 – 1.03 (3 H, m), 0.80 (3 H, t, *J* 6.9). The identity of synthesized product was confirmed based on reported NMR spectra.[1]



5j-*b* **5j-*l***

b* : *l = 2.0 : 1.0

N-(2-iodo-2-phenylethyl)-4-methylbenzenesulfonamide (**6j-*b***) and N-(2-iodo-1-phenylethyl)-4-methylbenzenesulfonamide (**6j-*l***)

6j-*b* δ_H (400 MHz, Chloroform-*d*) 7.71 (2 H, d, *J* 8.3), 7.32 (2 H, d, *J* 8.0), 7.30 – 7.17 (5 H, m), 5.01 (1 H, t, *J* 7.8), 4.88 (1 H, t, *J* 6.6), 3.69 (1 H, dt, *J* 14.3, 7.2), 3.51 (1 H, ddd, *J* 14.2, 8.2, 6.2), 2.45 (3 H, s).

6j-*l* δ_H (400 MHz, Chloroform-*d*) 7.62 (2 H, d, *J* 8.3), 7.25 – 7.17 (5 H, m), 7.08 (2 H, dd, *J* 6.6, 2.9), 5.31 (1 H, d, *J* 7.0), 4.40 (1 H, q, *J* 6.5), 3.47 – 3.36 (2 H, m), 2.39 (3 H, s).

The identity of synthesized products were confirmed based on reported NMR spectra.[18]

11. References

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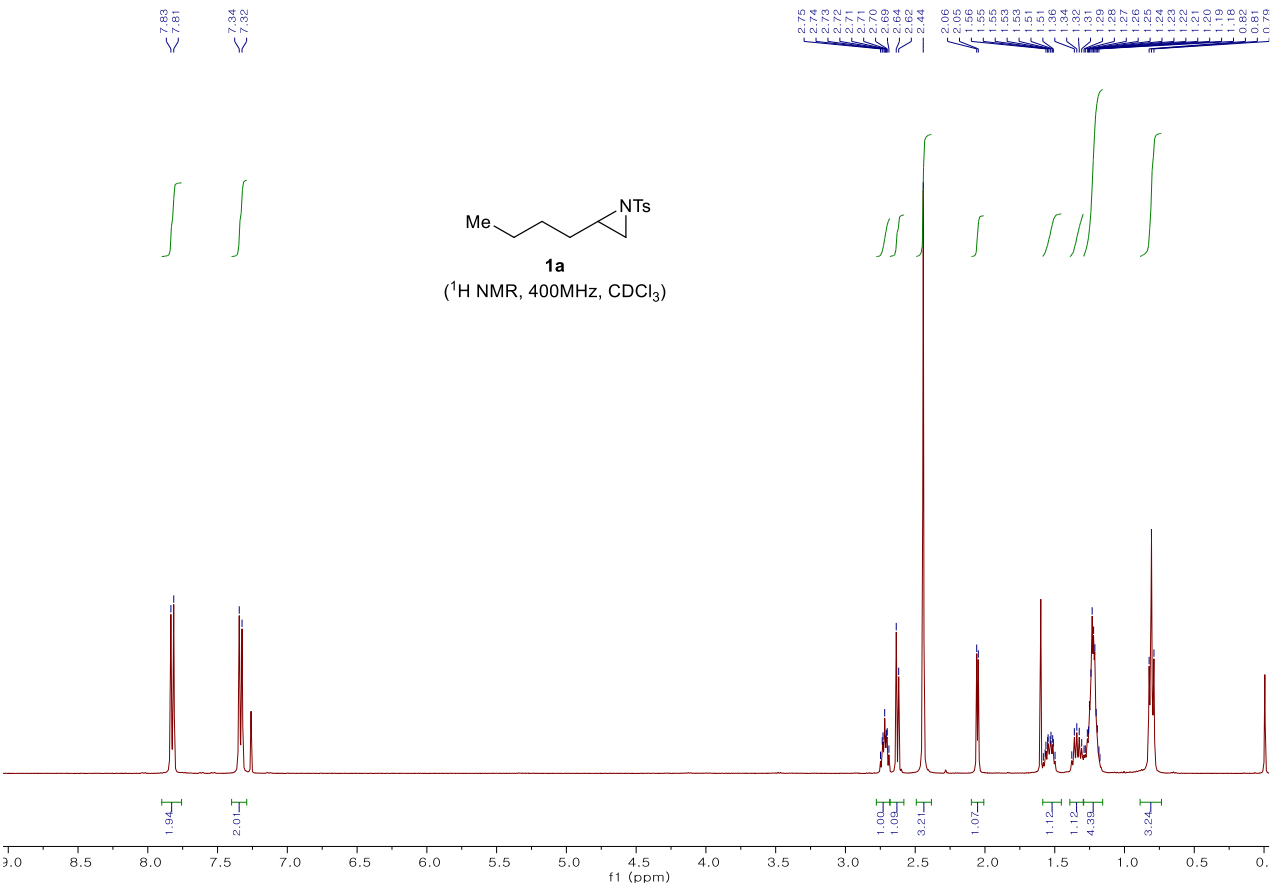
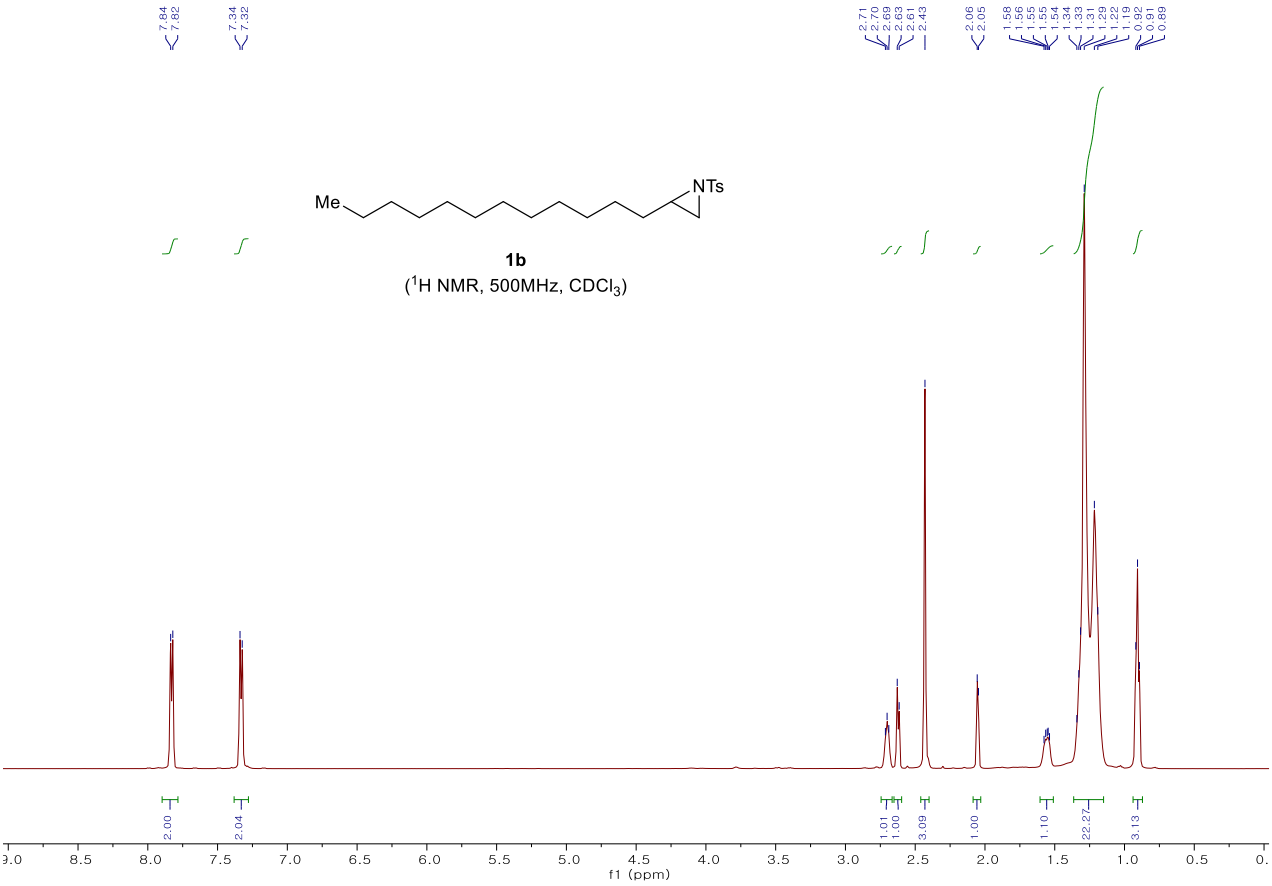
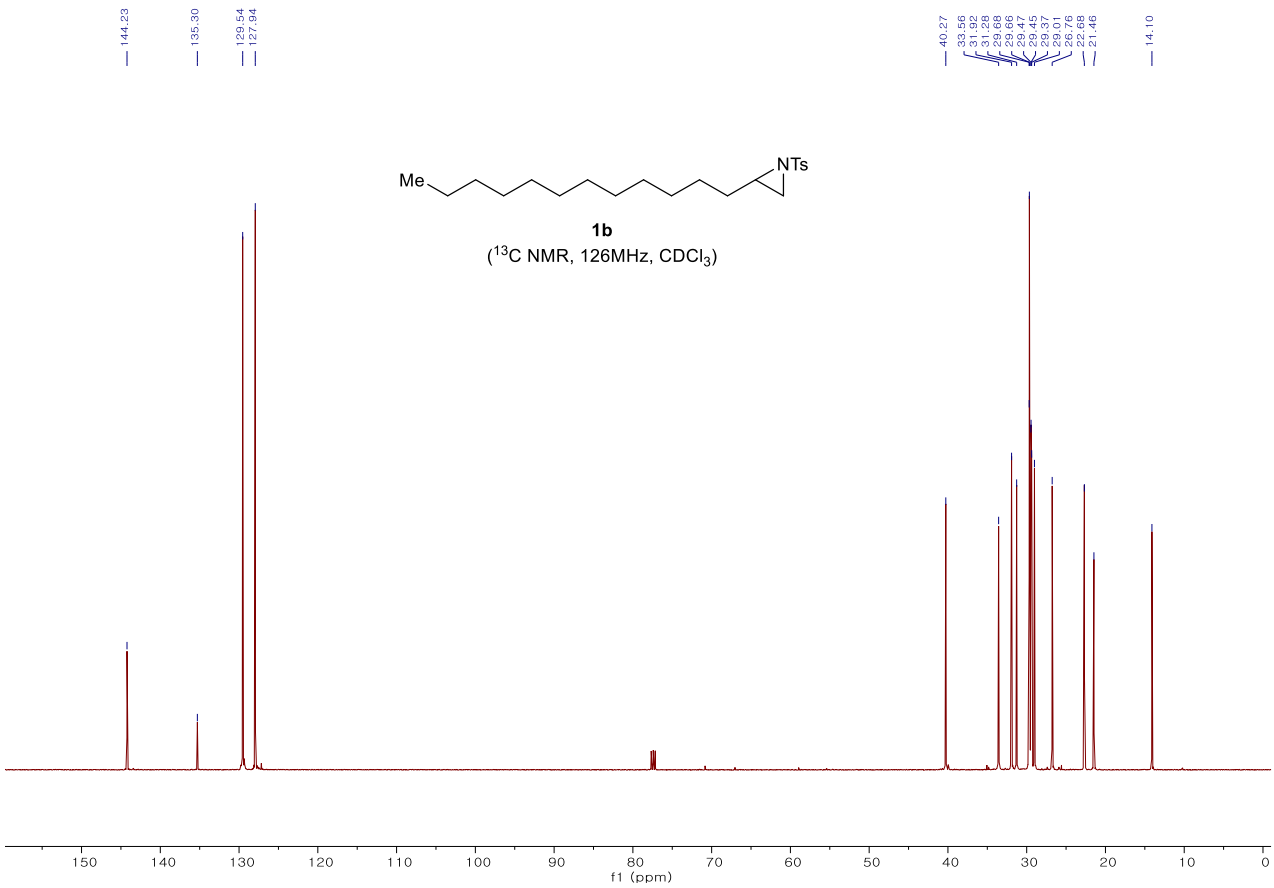
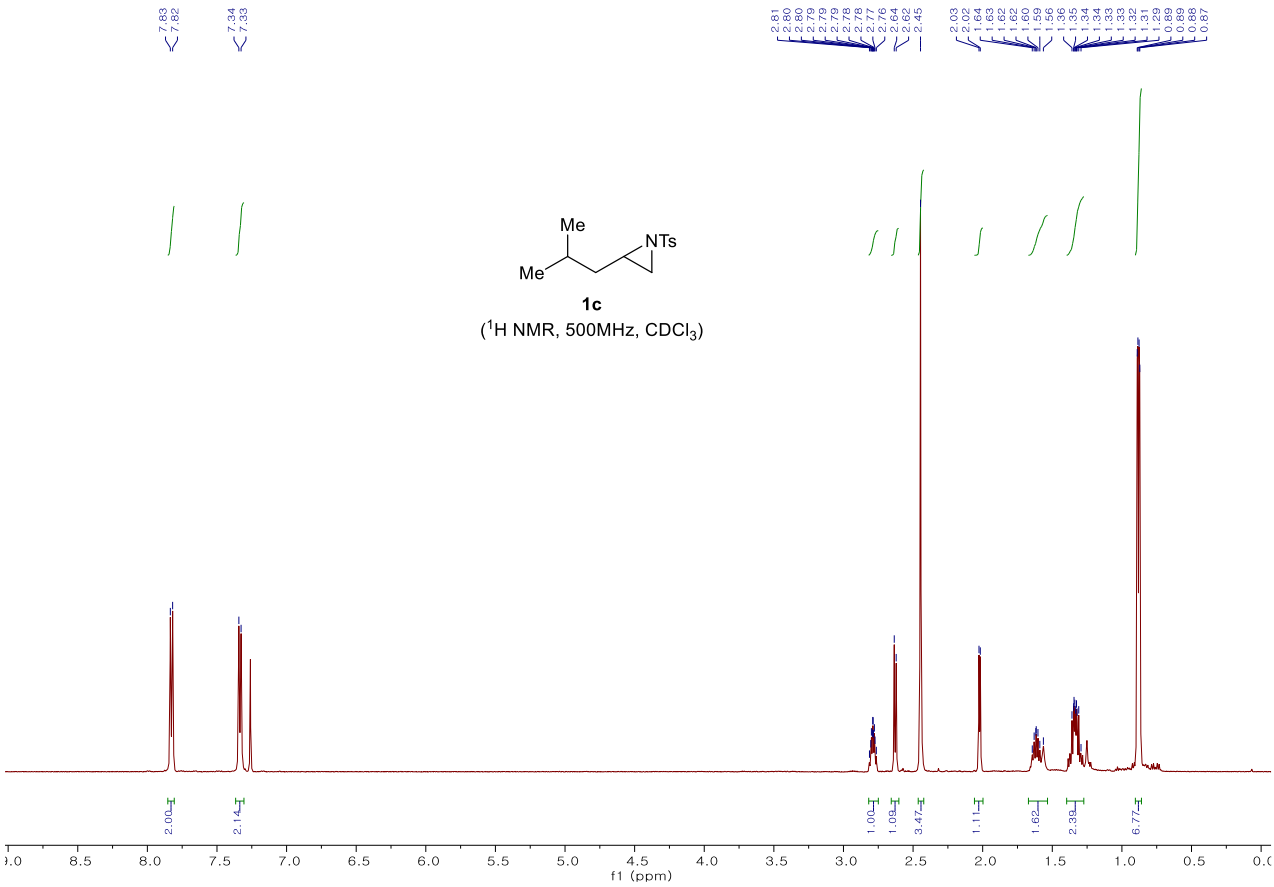
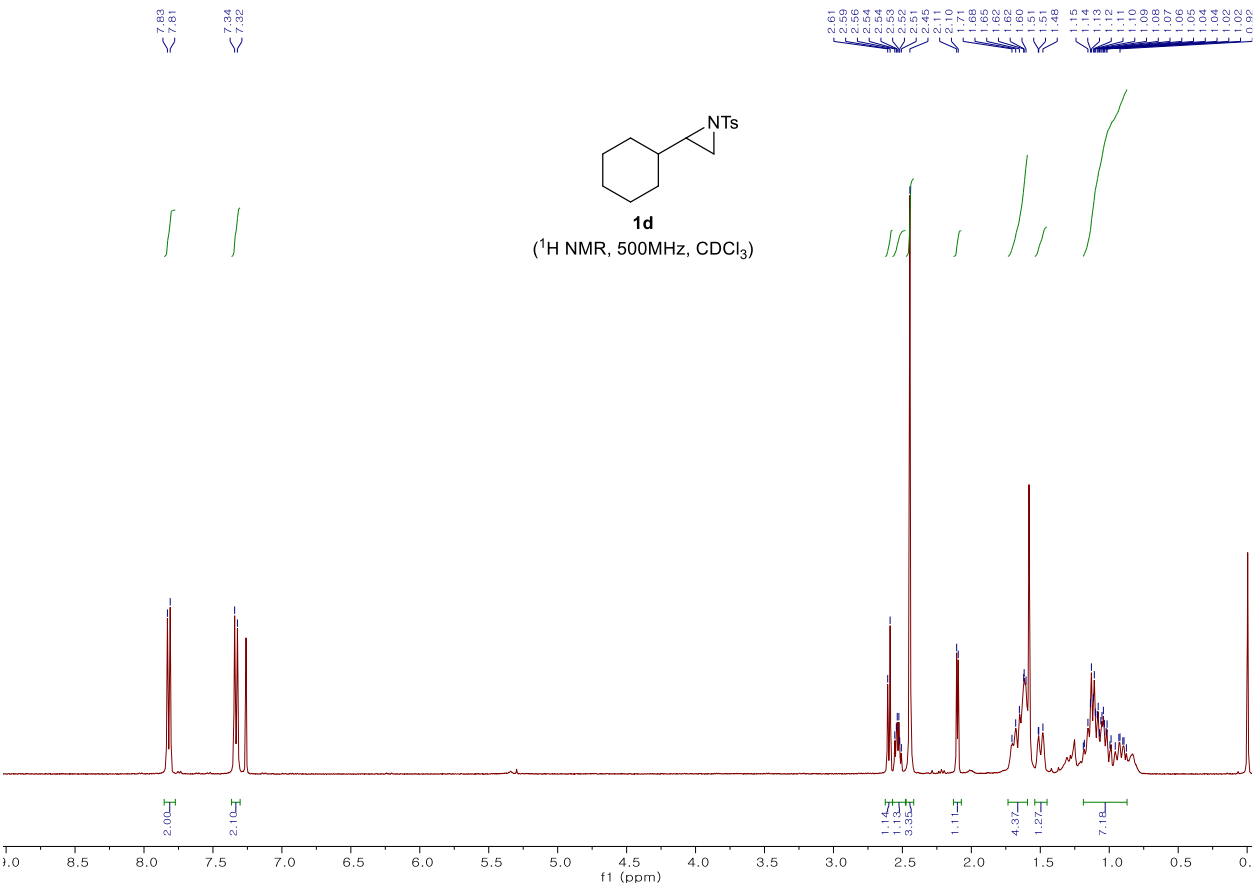
12. NMR Spectra



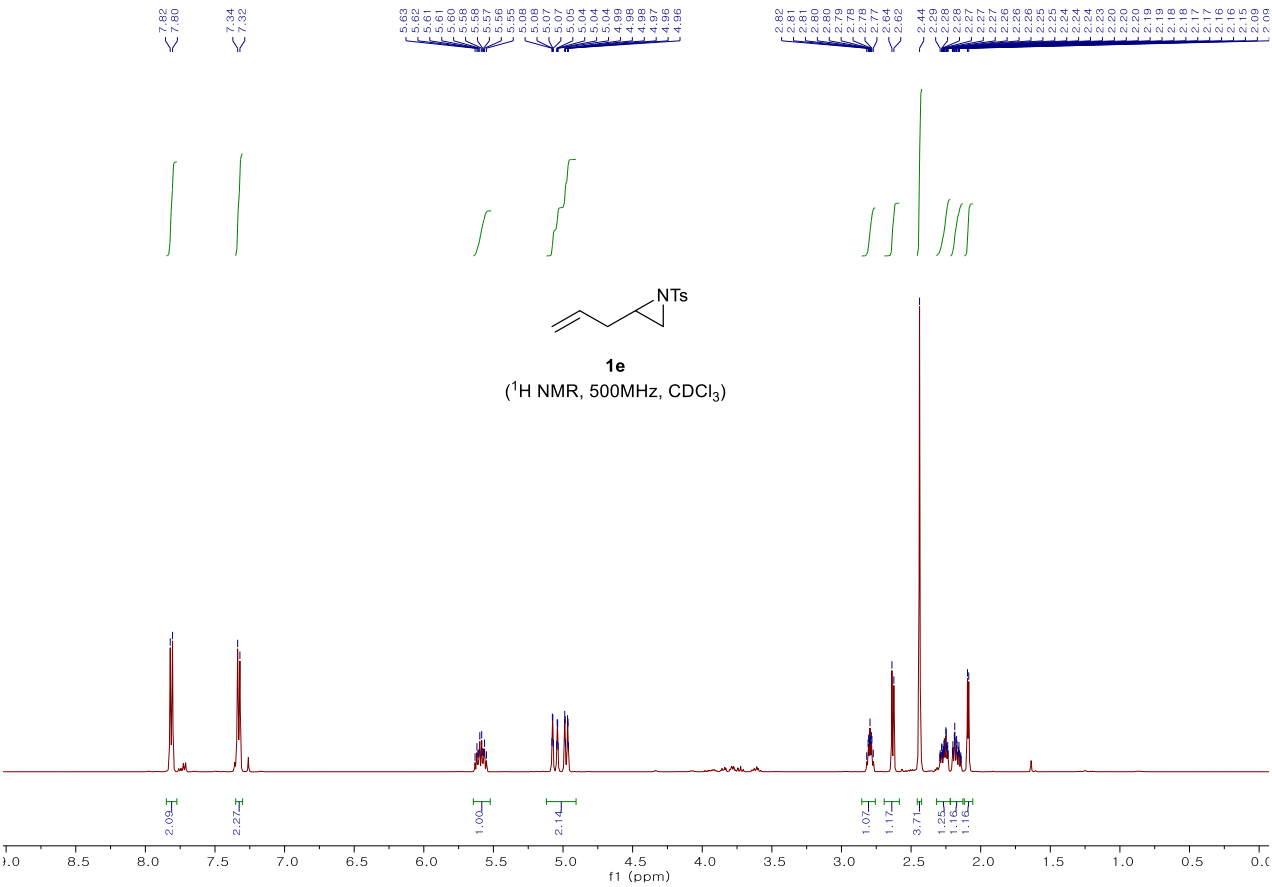



144.23
135.30
129.54
127.94
40.27
33.56
31.92
31.28
29.68
29.66
29.47
29.45
29.37
29.01
25.76
22.68
21.46
14.10
Me
NTs
1b
(13C NMR, 126MHz, CDCl3)
f1 (ppm)

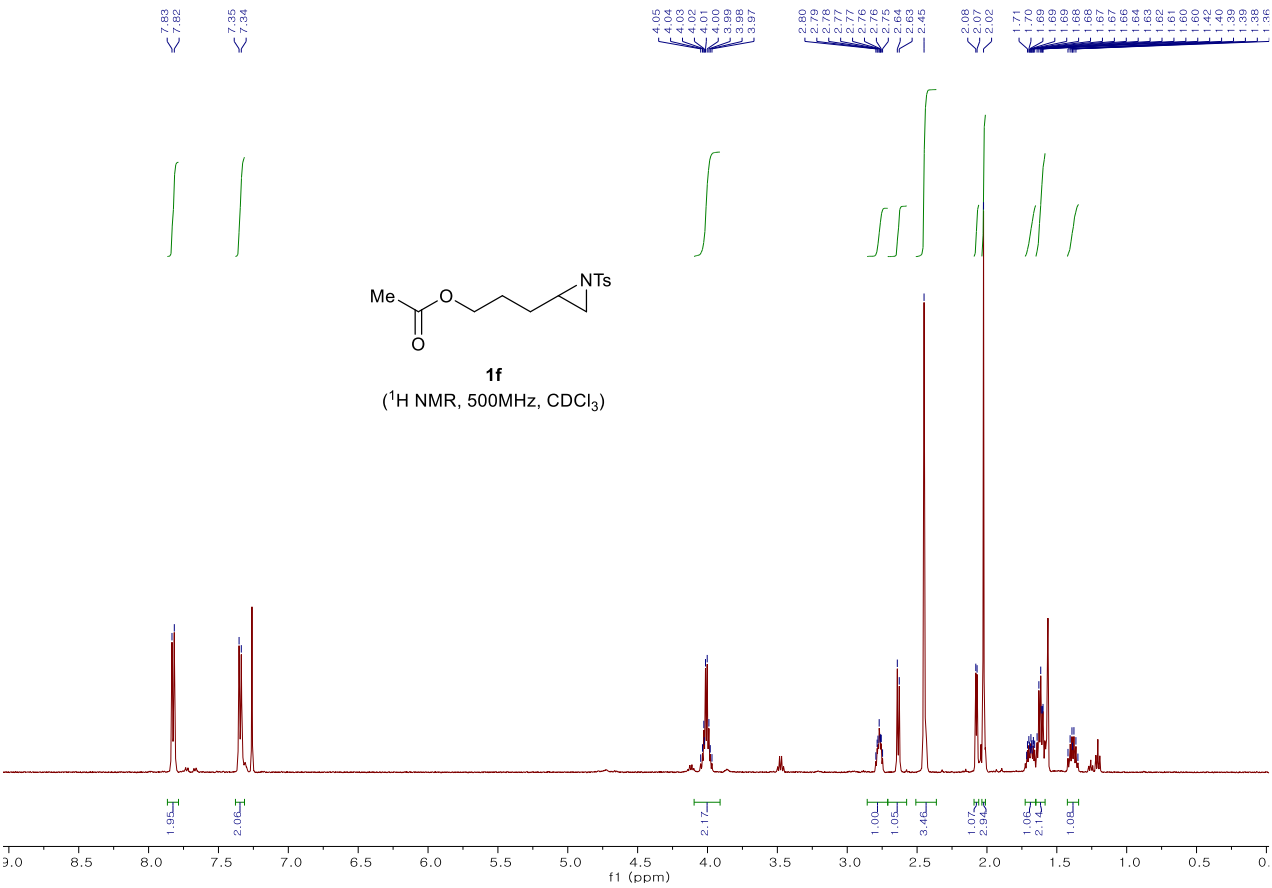

7.83
7.82
7.34
7.33
2.81
2.80
2.80
2.79
2.79
2.78
2.78
2.77
2.76
2.64
2.62
2.45
2.03
2.02
1.64
1.63
1.62
1.62
1.60
1.59
1.56
1.36
1.35
1.34
1.34
1.33
1.32
1.31
1.29
0.89
0.89
0.88
0.87
Me
Me
NTs
1c
(1H NMR, 500MHz, CDCl3)
2.00
2.14
1.00
1.09
3.47
1.11
1.62
2.39
6.77
f1 (ppm)



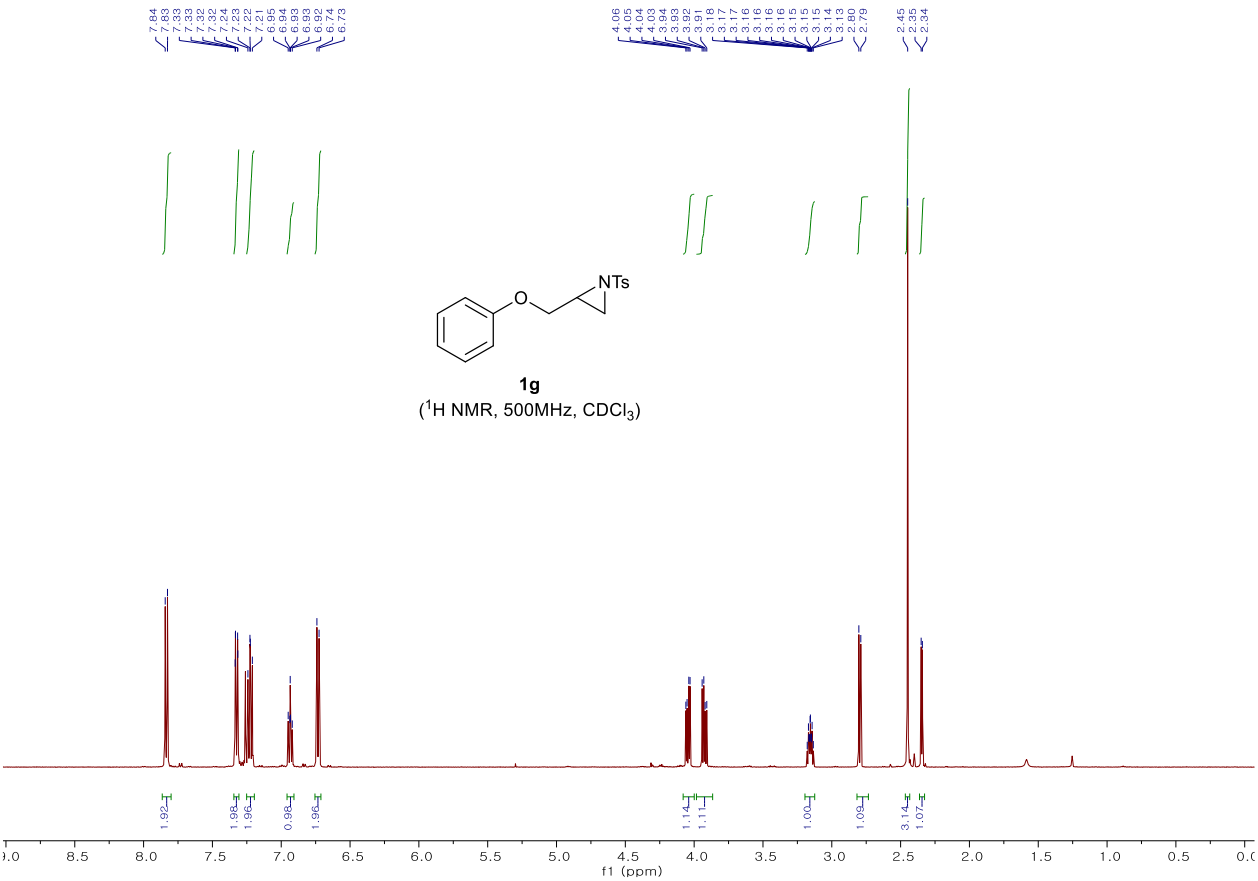
1d
(^{1}H NMR, 500MHz, $CDCl_3$)



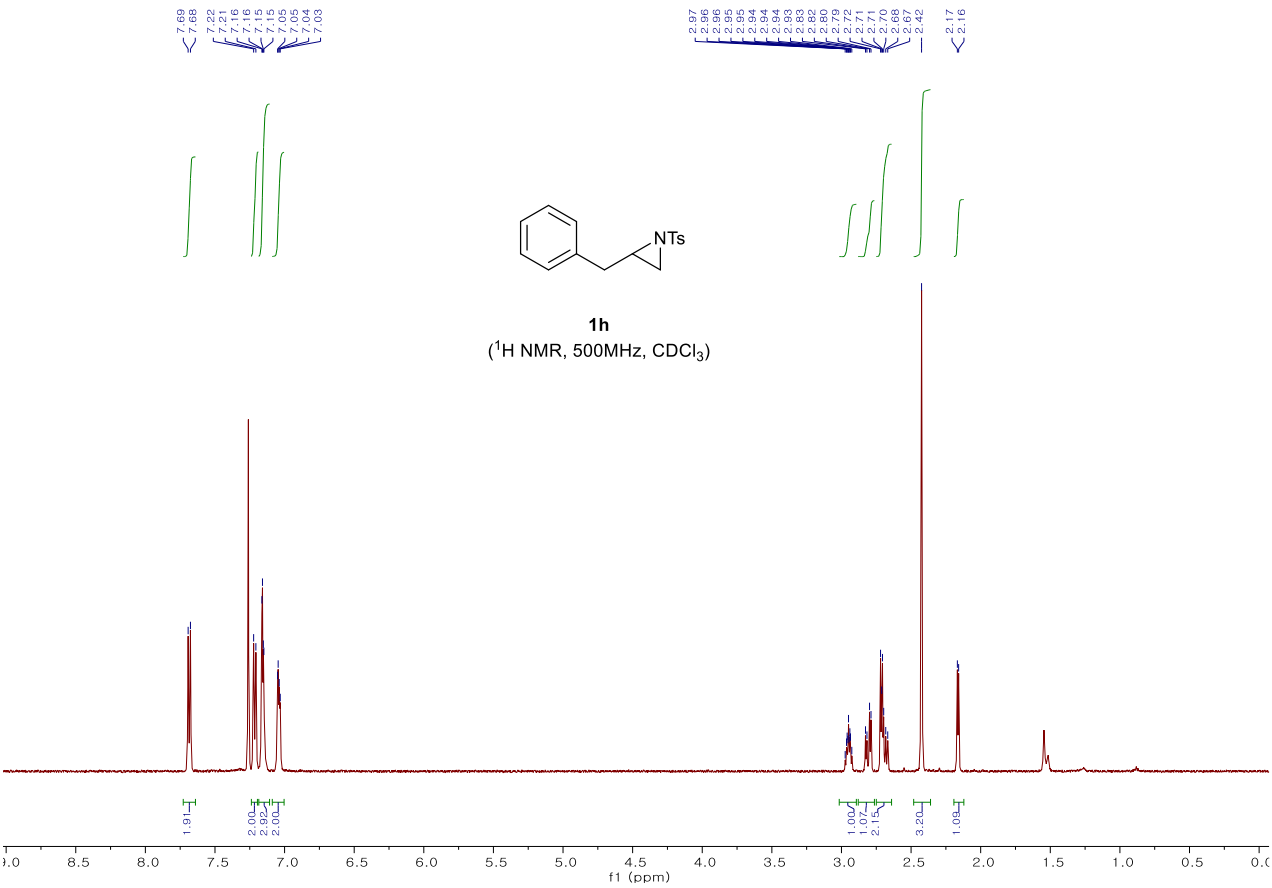
1e
(^{1}H NMR, 500MHz, $CDCl_3$)



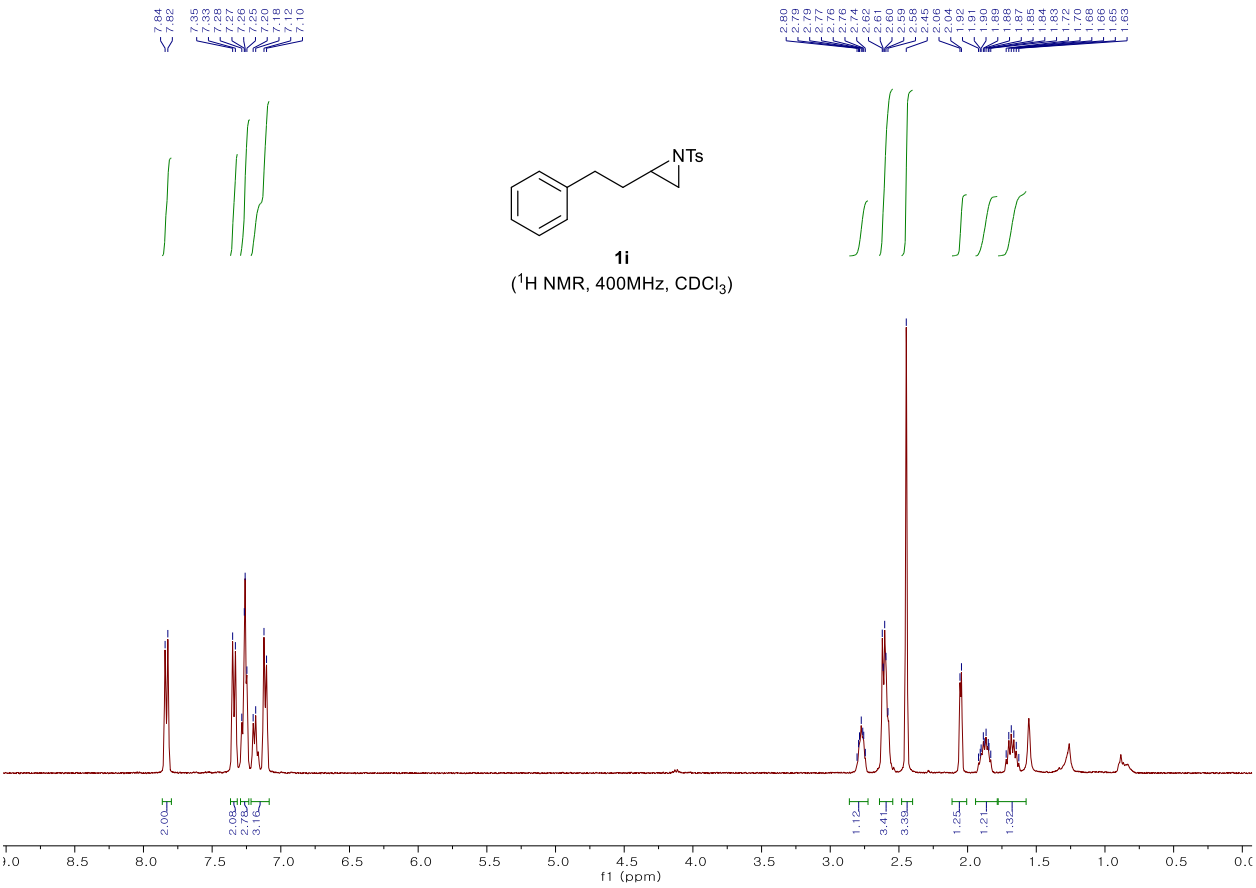
1f
(^{1}H NMR, 500MHz, $CDCl_3$)



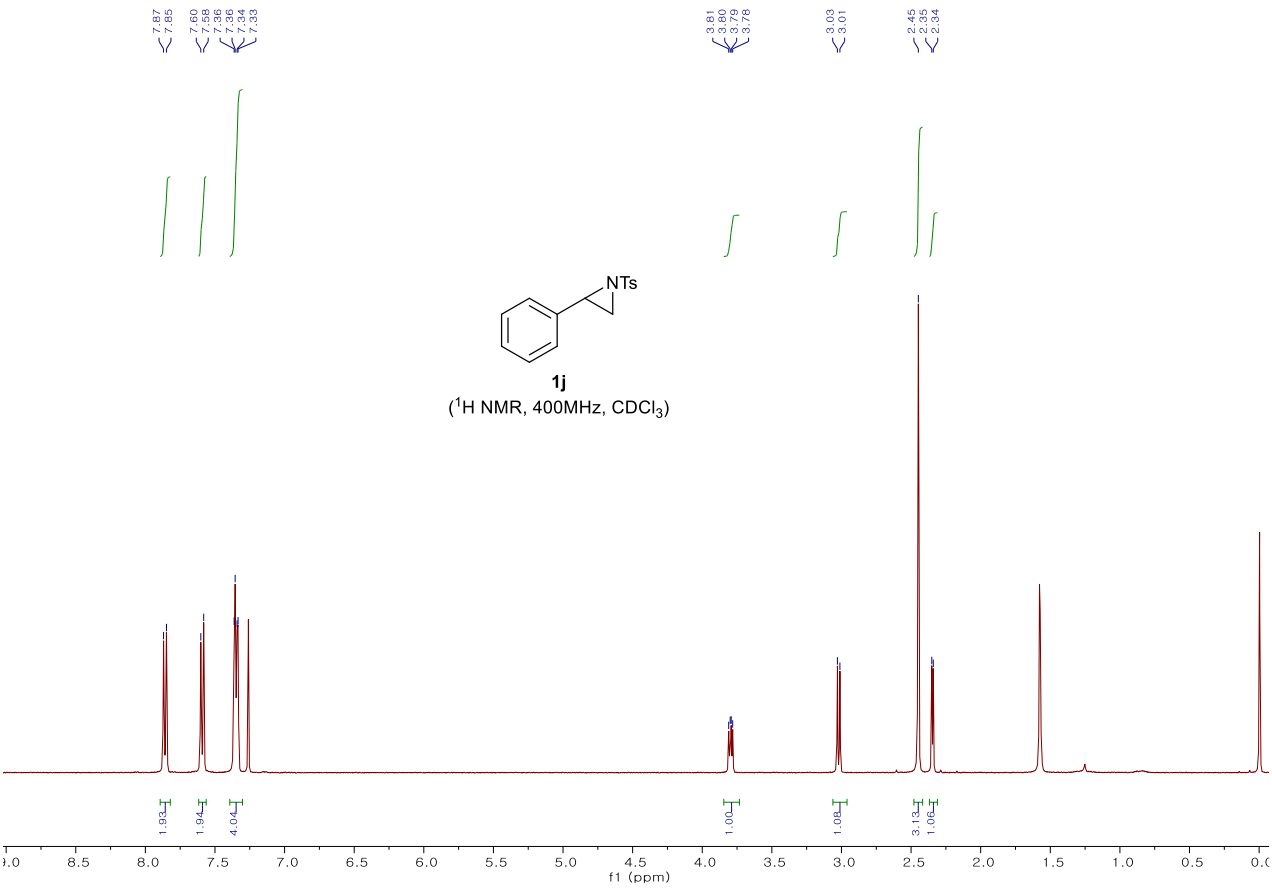
1g
(^{1}H NMR, 500MHz, $CDCl_3$)



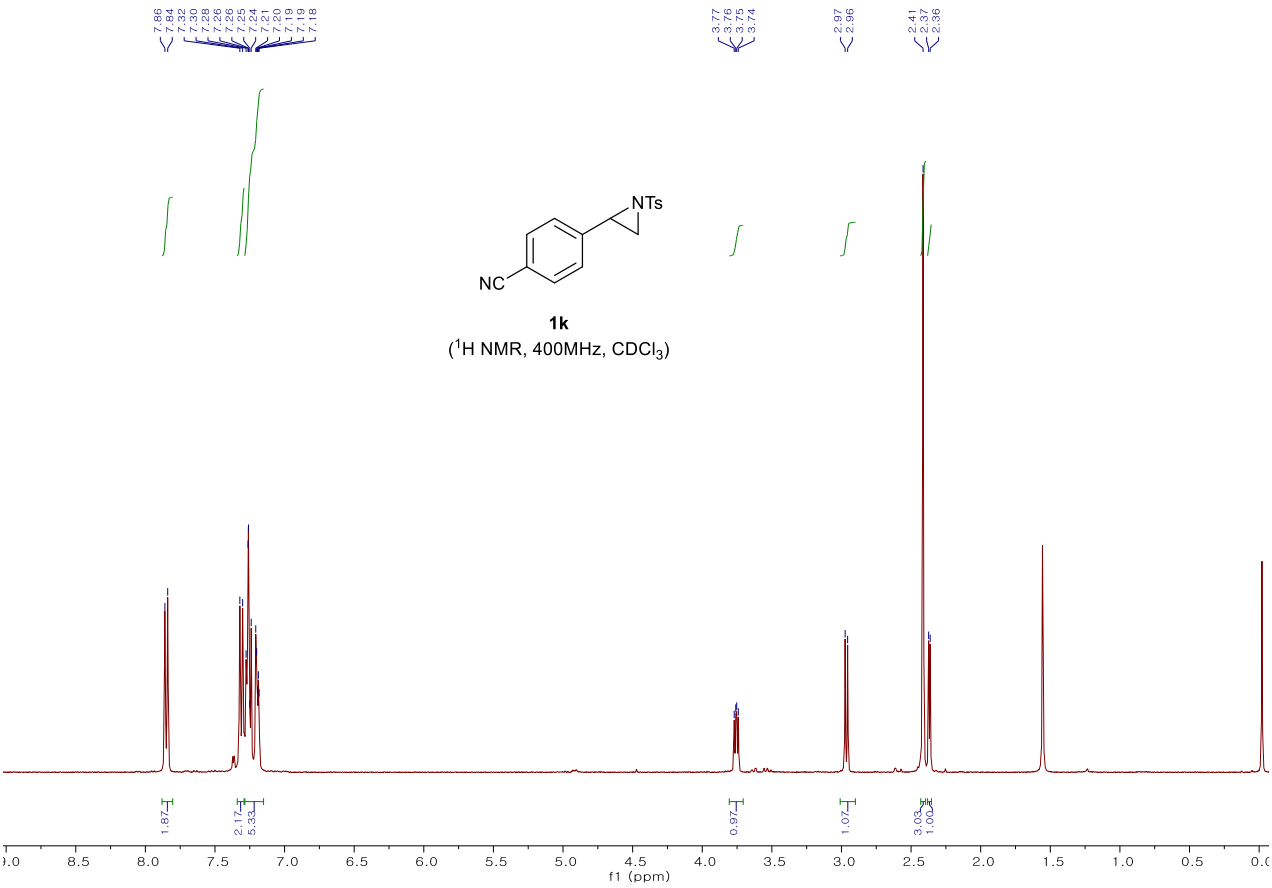
1h
(^{1}H NMR, 500MHz, $CDCl_3$)



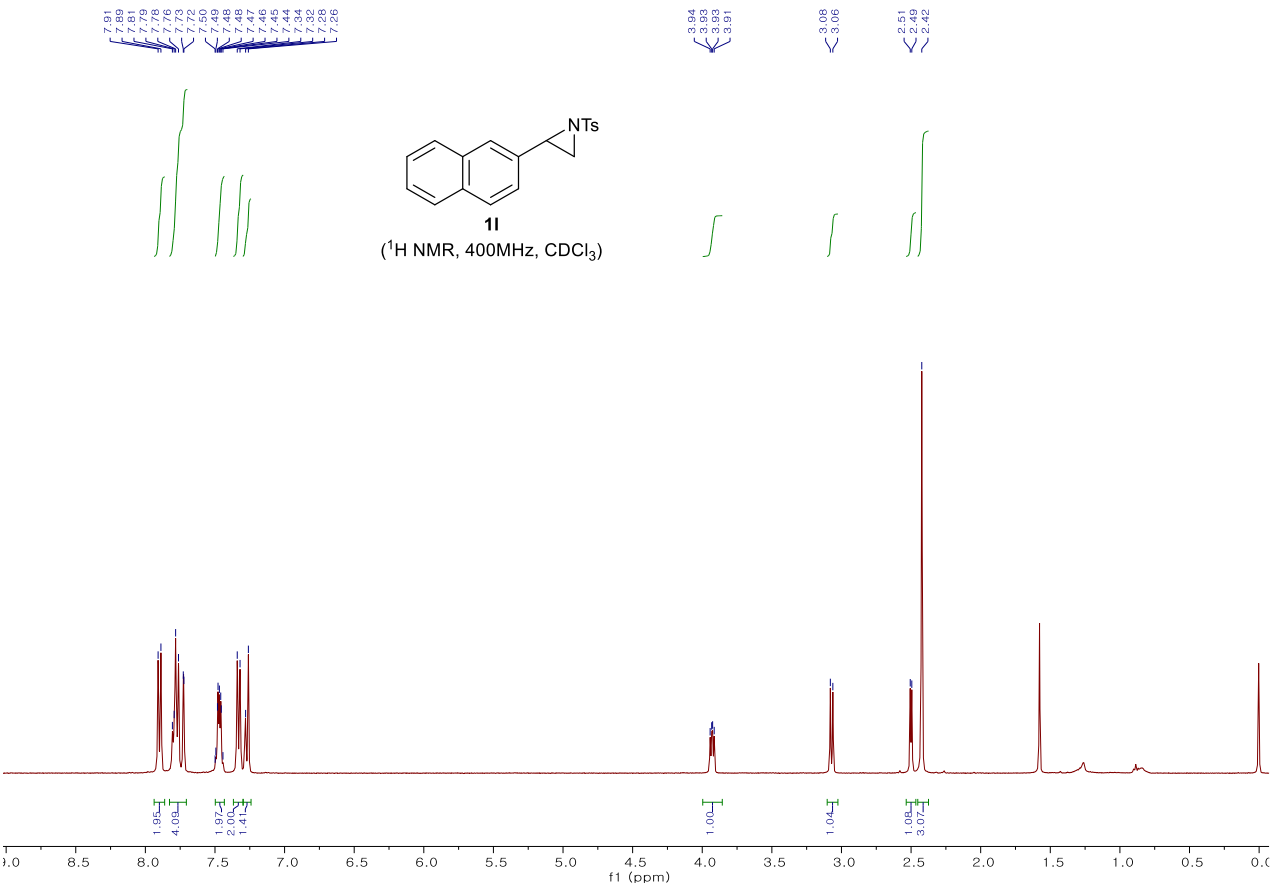
1i
(^{1}H NMR, 400MHz, $CDCl_3$)

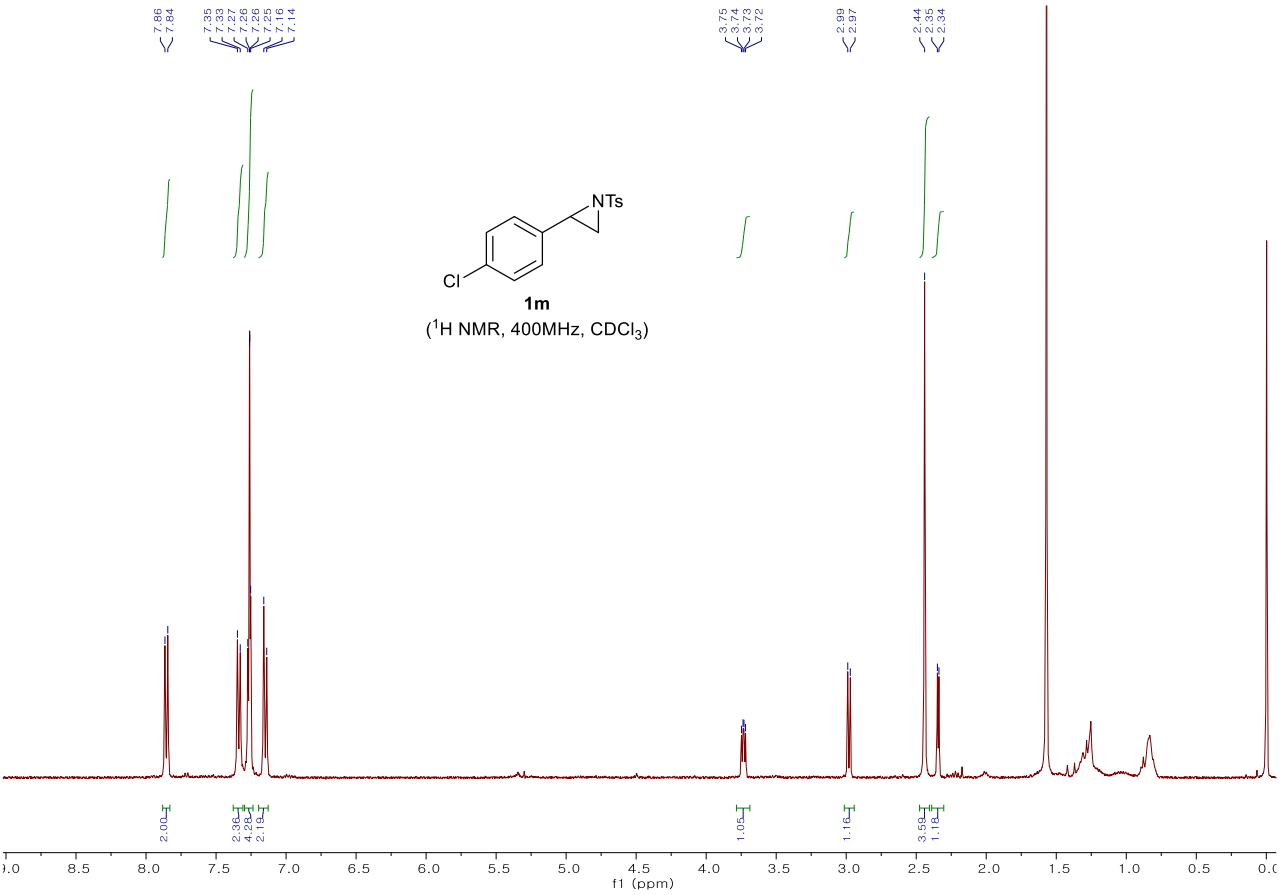


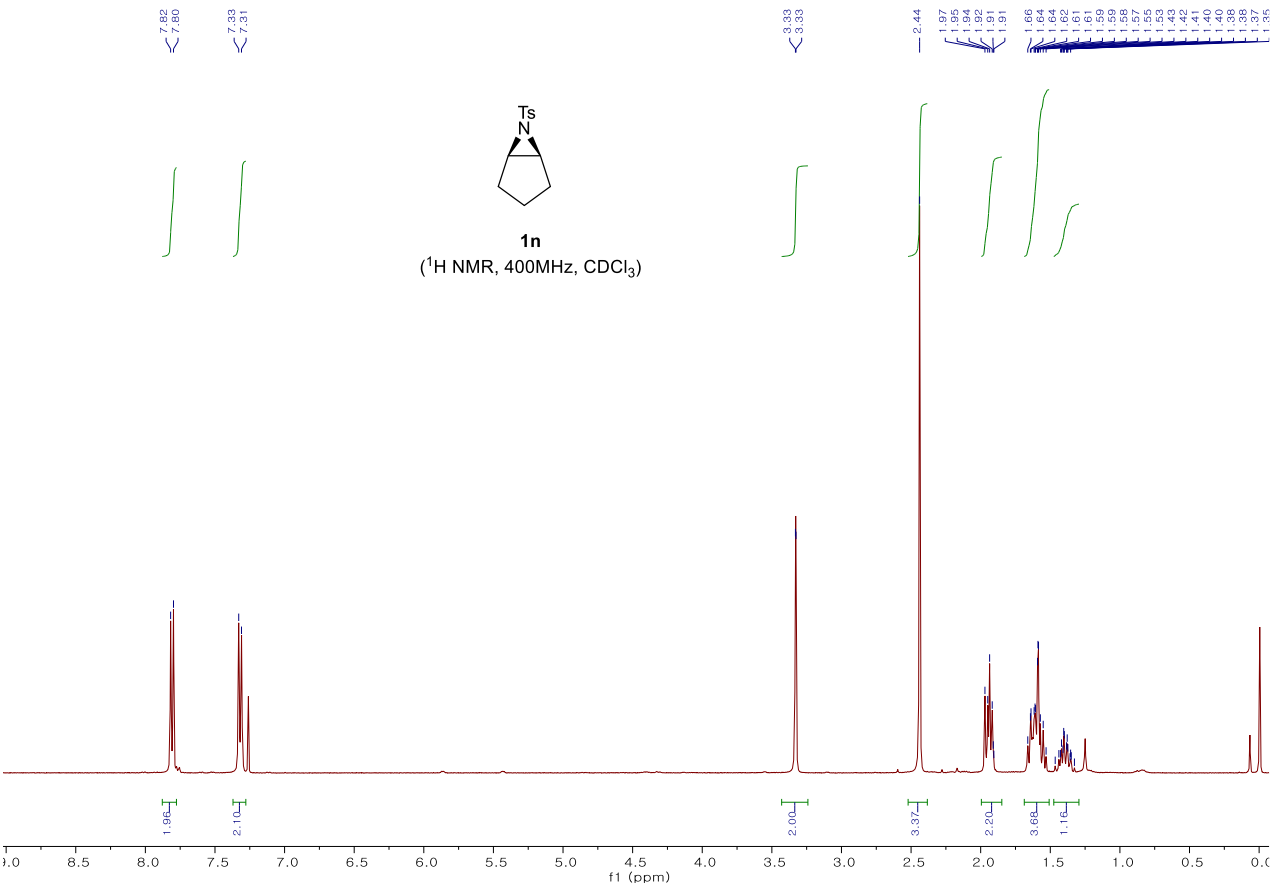
1j
(^{1}H NMR, 400MHz, $CDCl_3$)

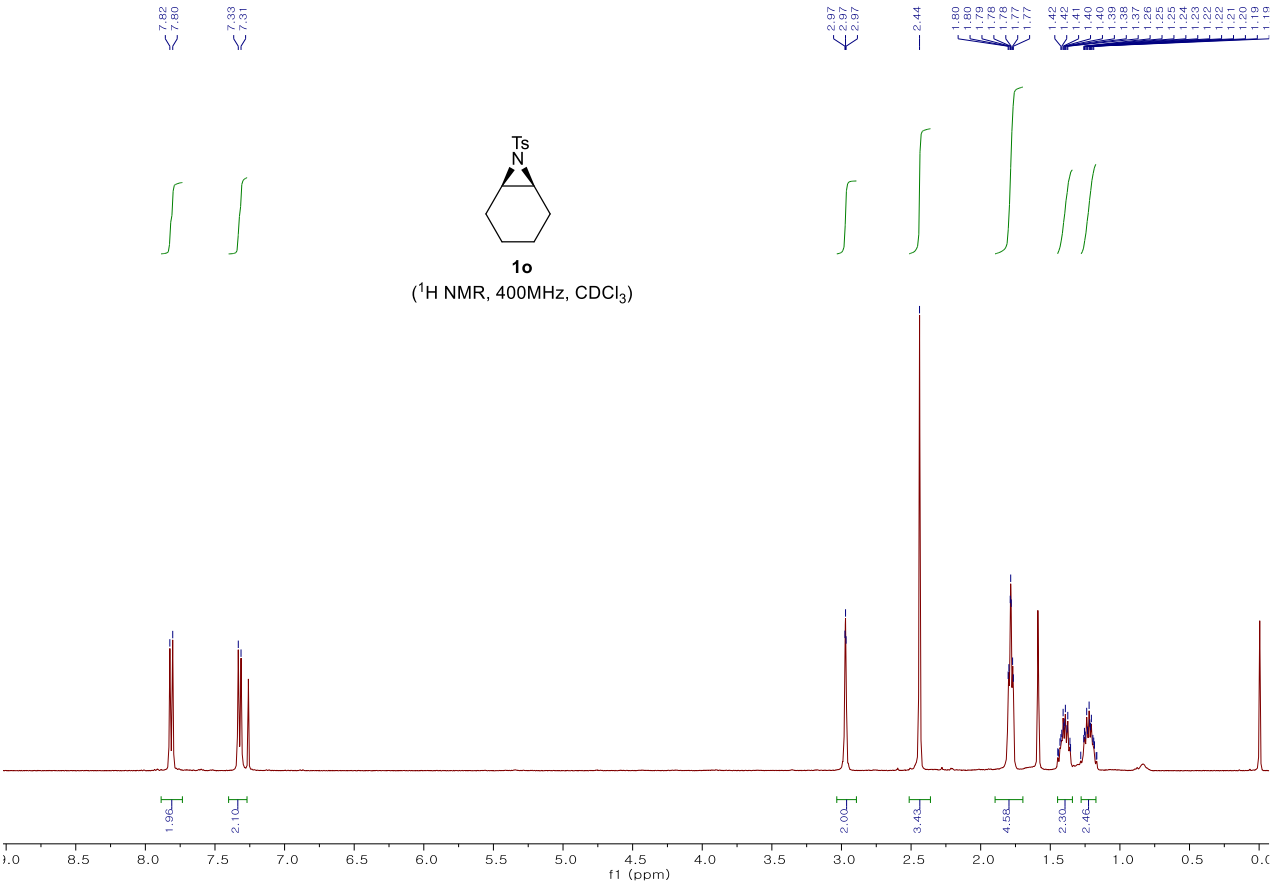


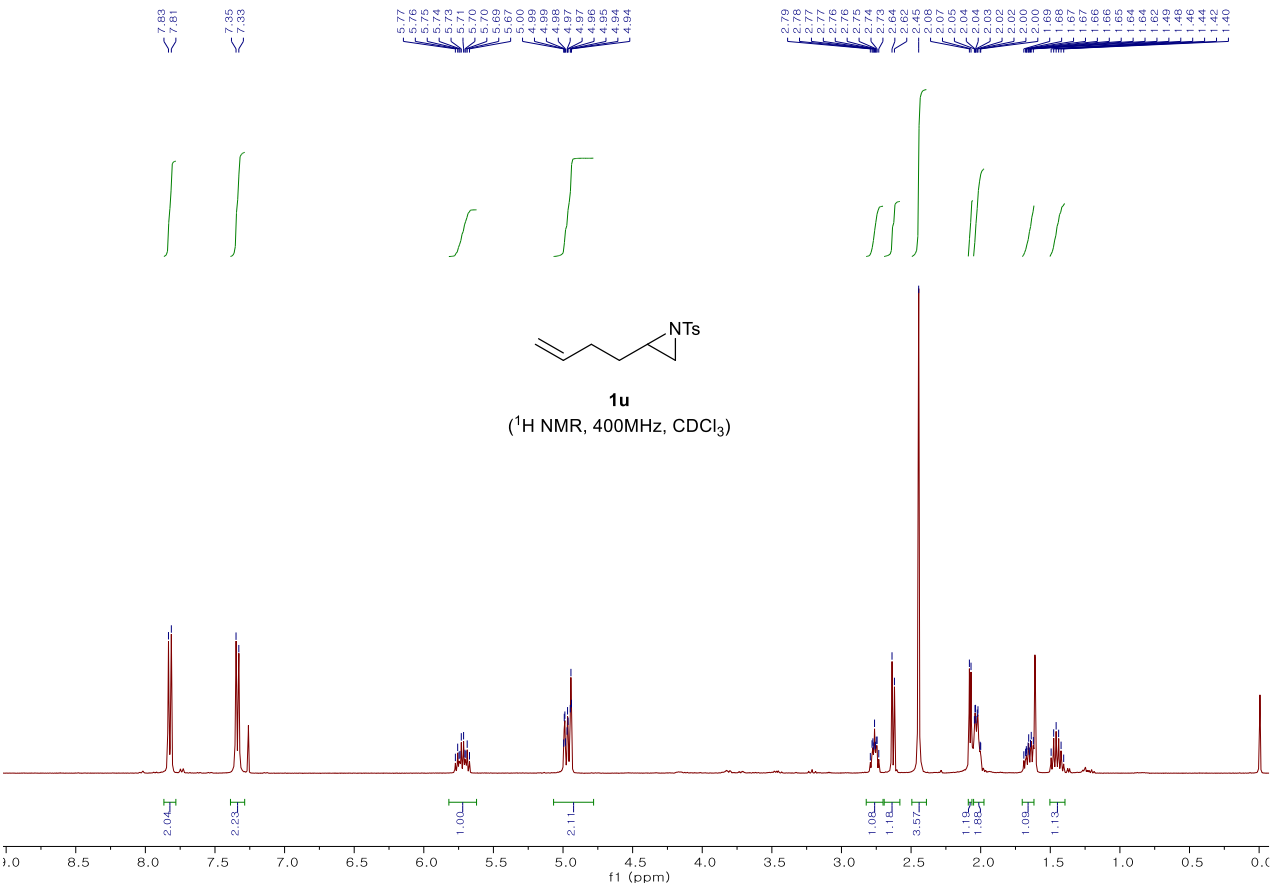
1k
(^{1}H NMR, 400MHz, $CDCl_3$)


NTs
1l
(1H NMR, 400MHz, CDCl3)
f1 (ppm)


NTs
Cl
1m
(1H NMR, 400MHz, CDCl3)
f1 (ppm)

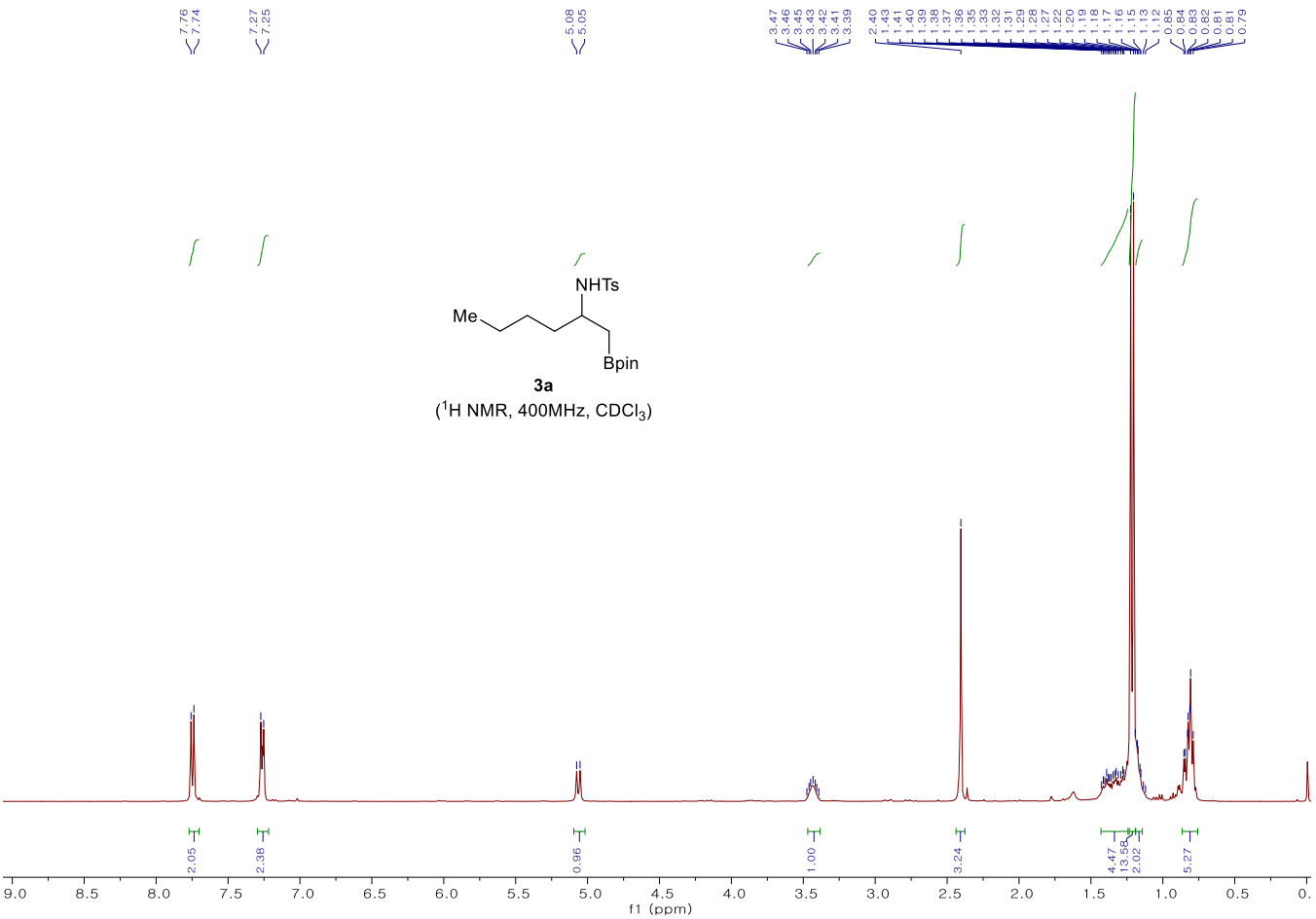

Ts
N
1n
(1H NMR, 400MHz, CDCl3)
f1 (ppm)

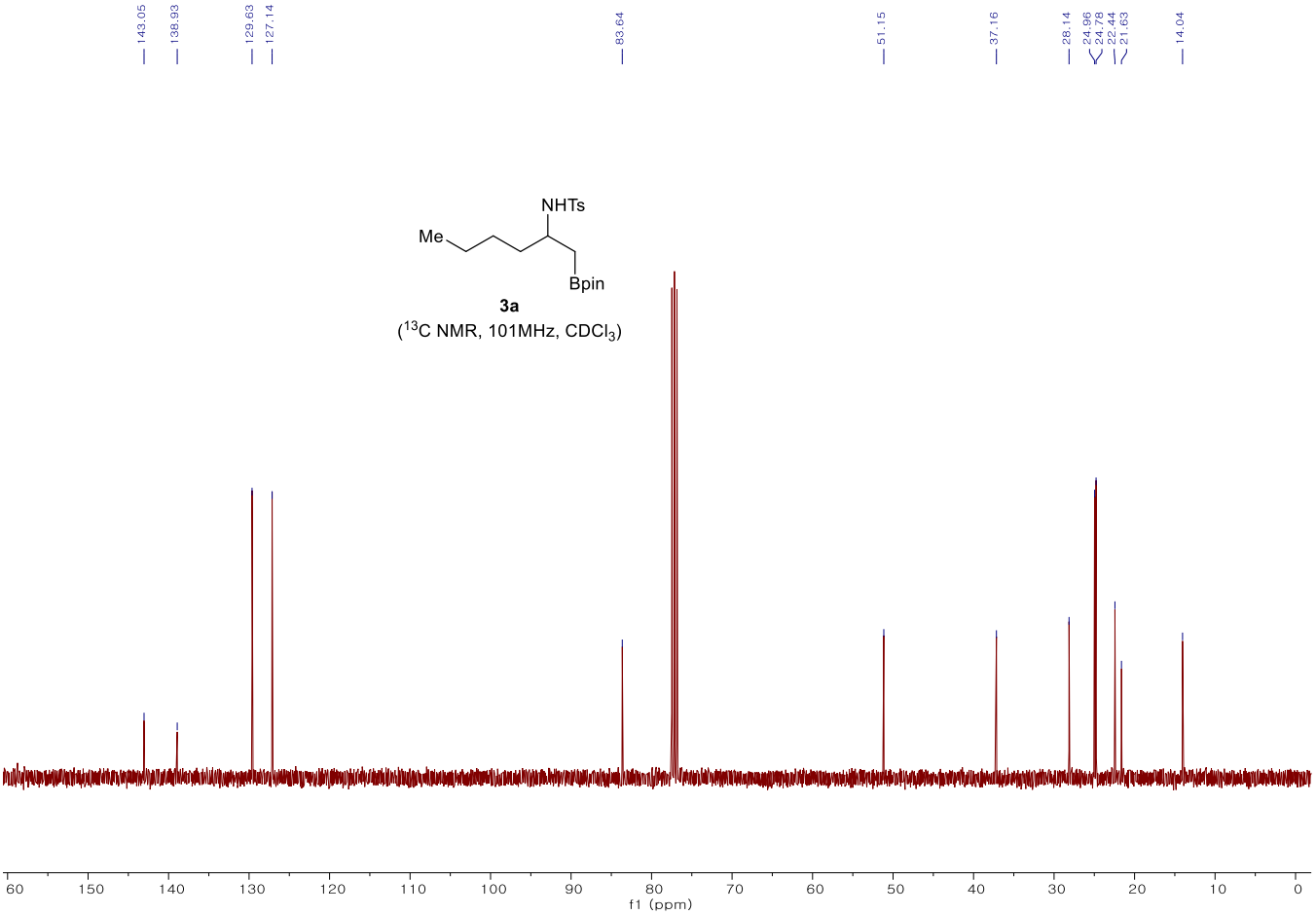

Ts
N
1o
(1H NMR, 400MHz, CDCl3)
f1 (ppm)

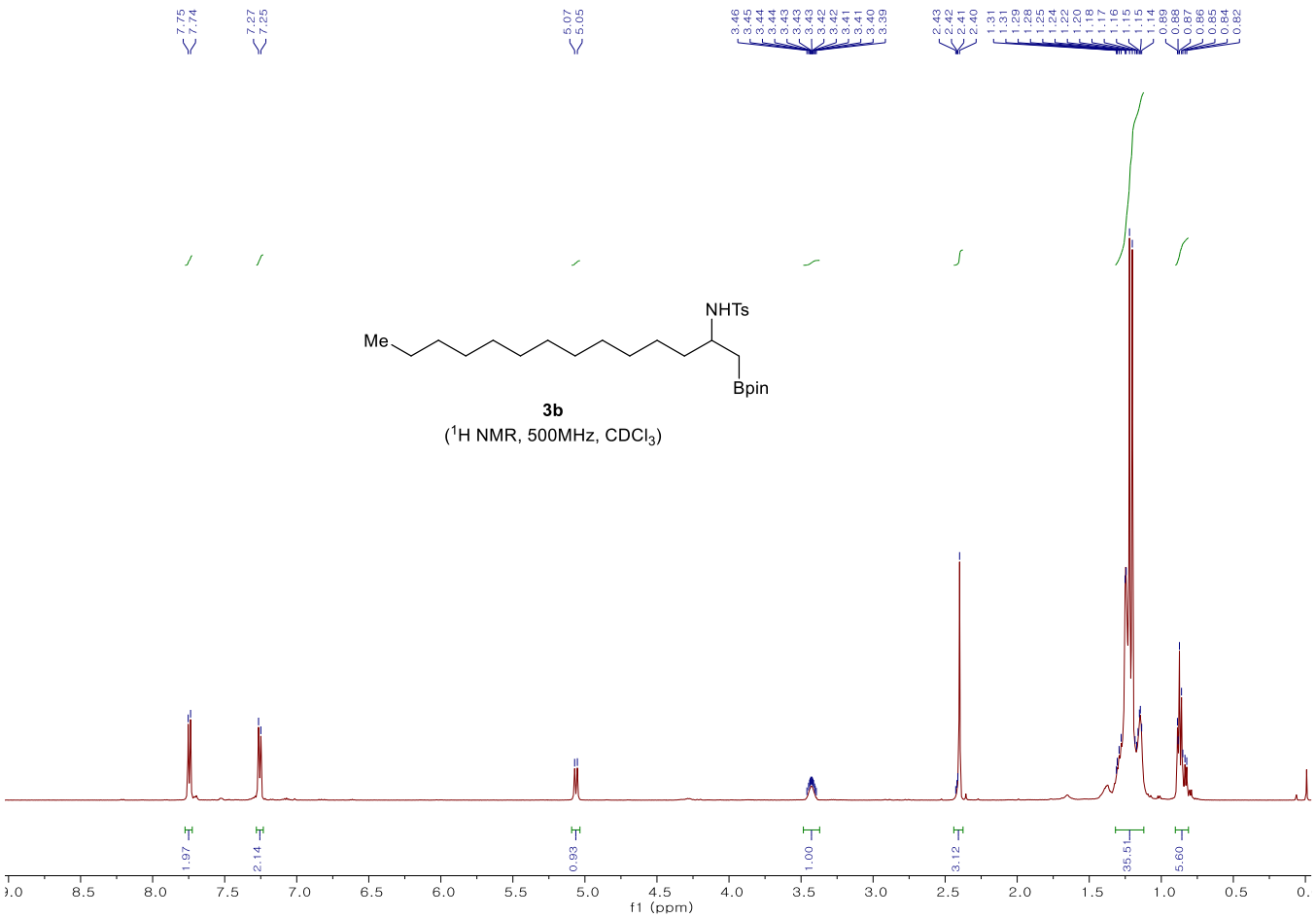


1u

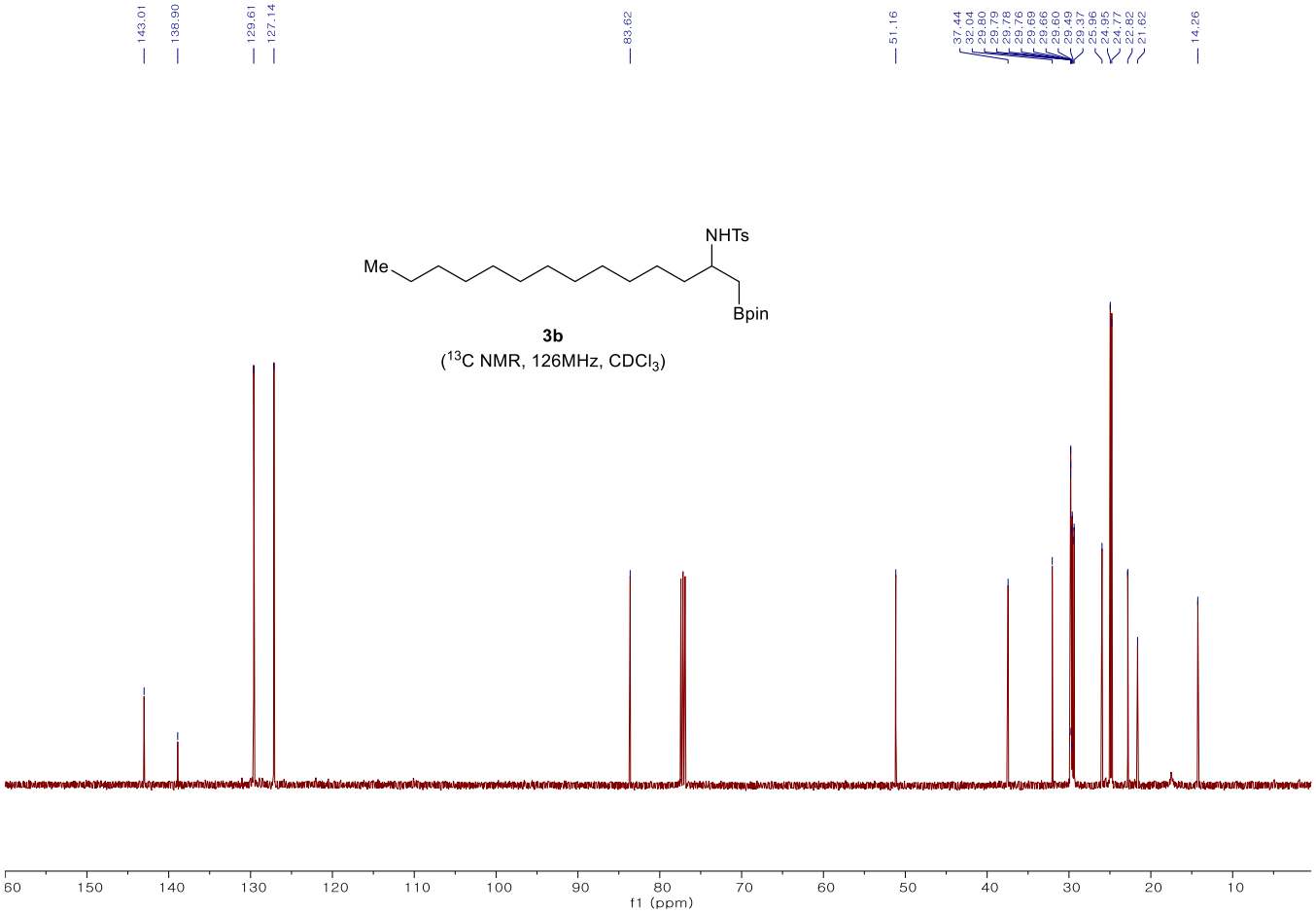
(^{1}H NMR, 400MHz, $CDCl_3$)


NHTs
Me
Bpin
3a
(1H NMR, 400MHz, CDCl3)
f1 (ppm)

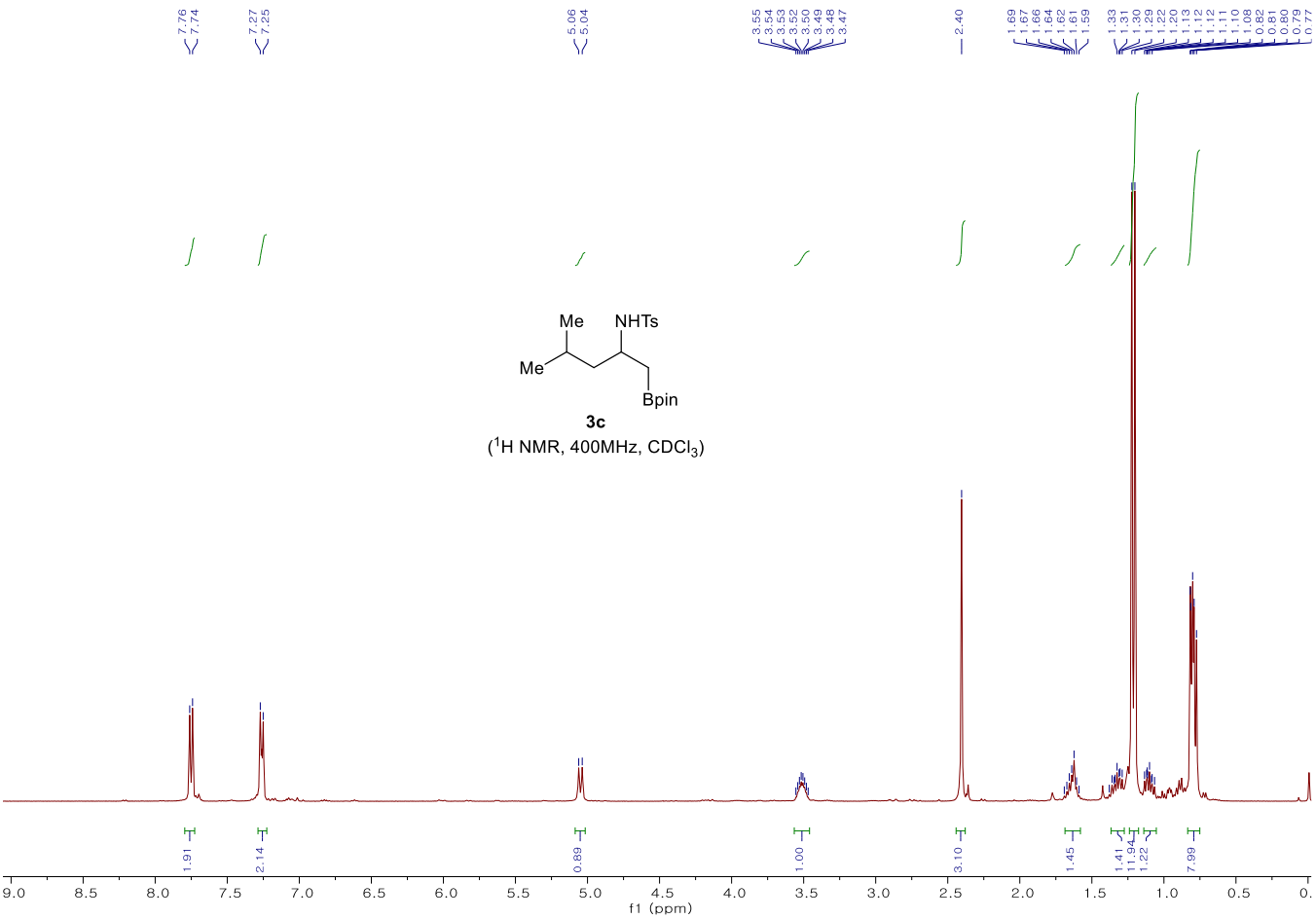

NHTs
Me
Bpin
3a
(13C NMR, 101MHz, CDCl3)
f1 (ppm)

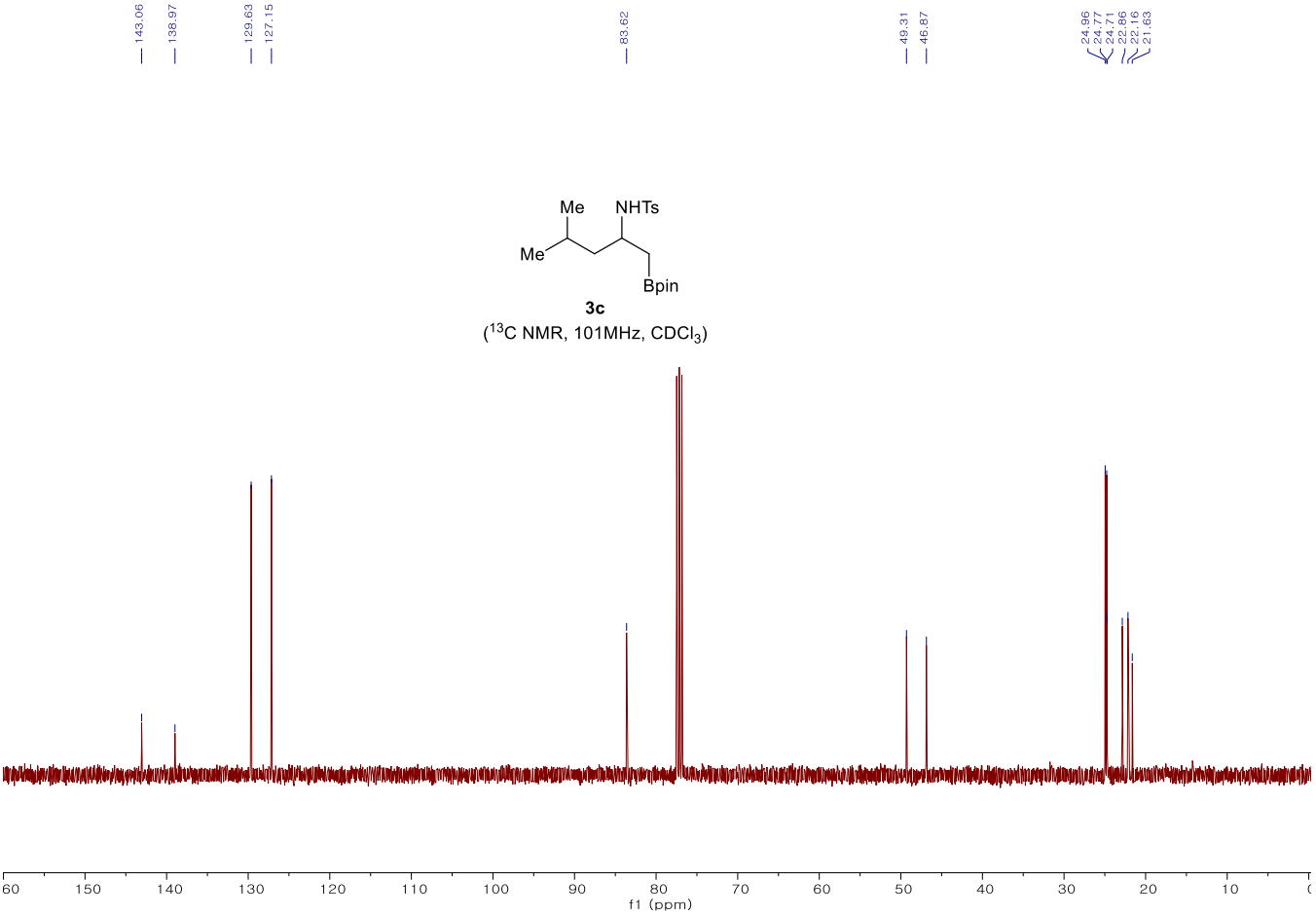


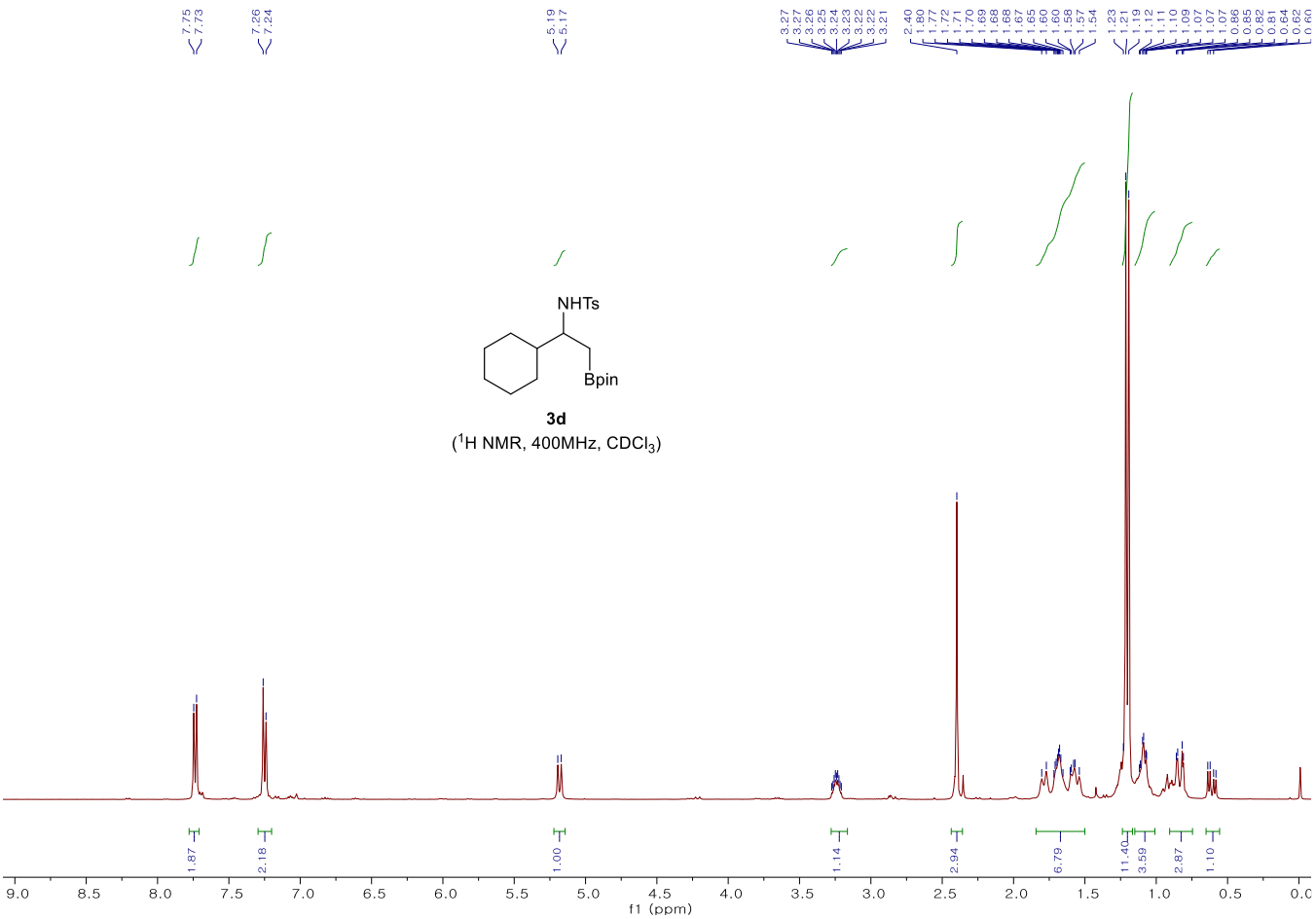
3b
(^{1}H NMR, 500MHz, $CDCl_3$)



3b
(^{13}C NMR, 126MHz, $CDCl_3$)

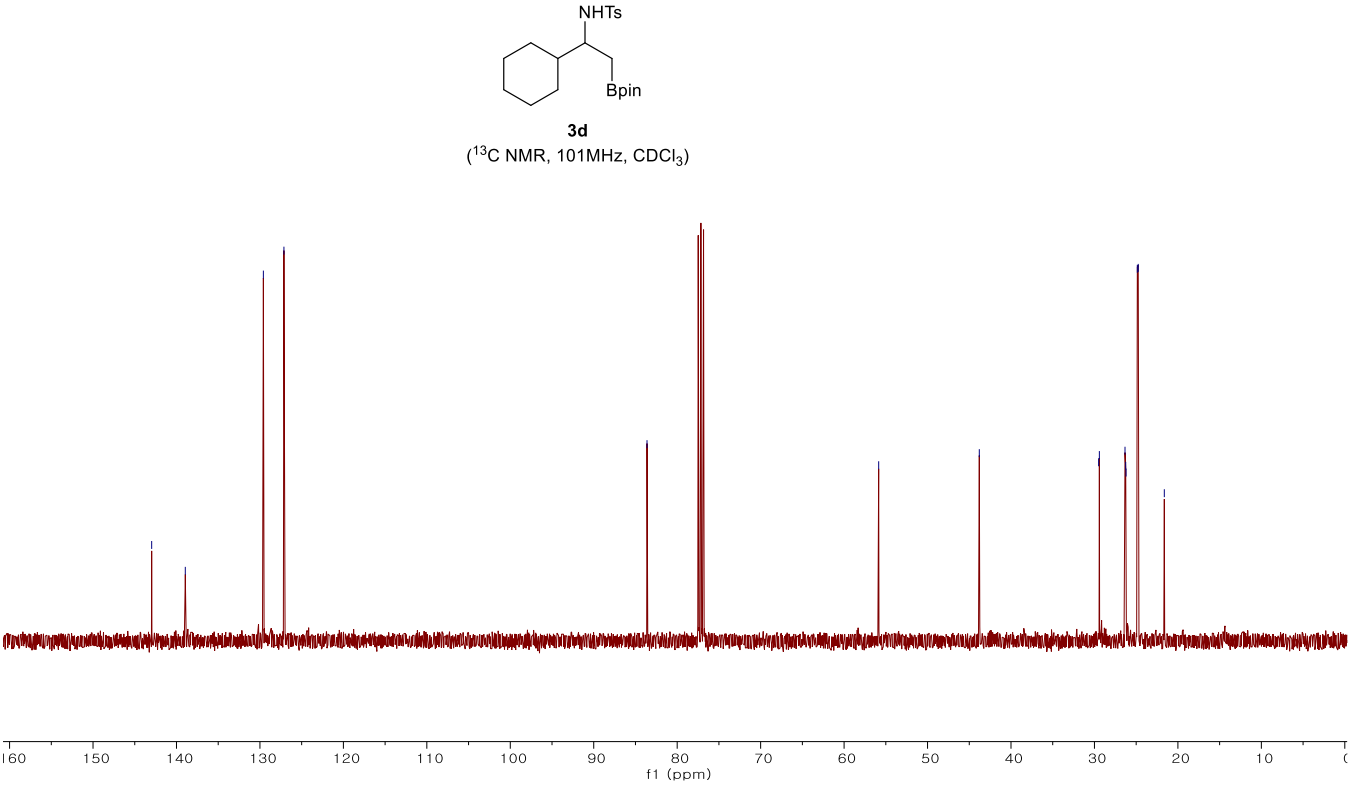

7.76
7.74
7.27
7.25
5.06
5.04
3.55
3.54
3.53
3.52
3.50
3.49
3.48
3.47
2.40
1.69
1.67
1.66
1.64
1.62
1.61
1.59
1.33
1.31
1.30
1.29
1.22
1.20
1.13
1.12
1.12
1.11
1.10
1.08
0.82
0.81
0.80
0.79
0.77
Me
NHTs
Me
Bpin
3c
(1H NMR, 400MHz, CDCl3)
1.91
2.14
0.89
1.00
3.10
1.45
1.41
11.94
1.22
7.99
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
f1 (ppm)


143.06
138.97
129.63
127.15
83.62
49.31
46.87
24.96
24.77
24.71
22.86
22.16
21.68
Me
NHTs
Me
Bpin
3c
(13C NMR, 101MHz, CDCl3)
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)



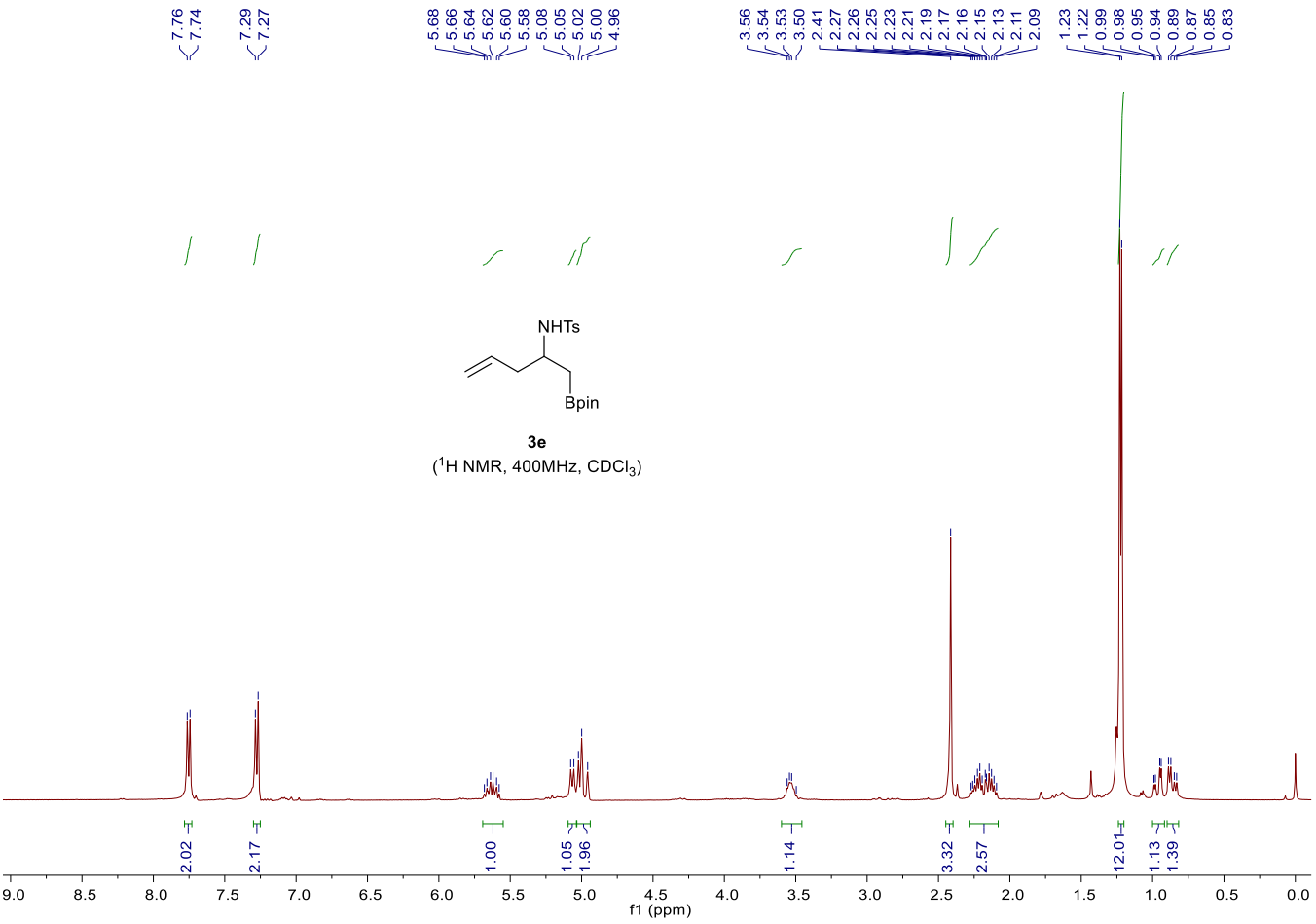
3d

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

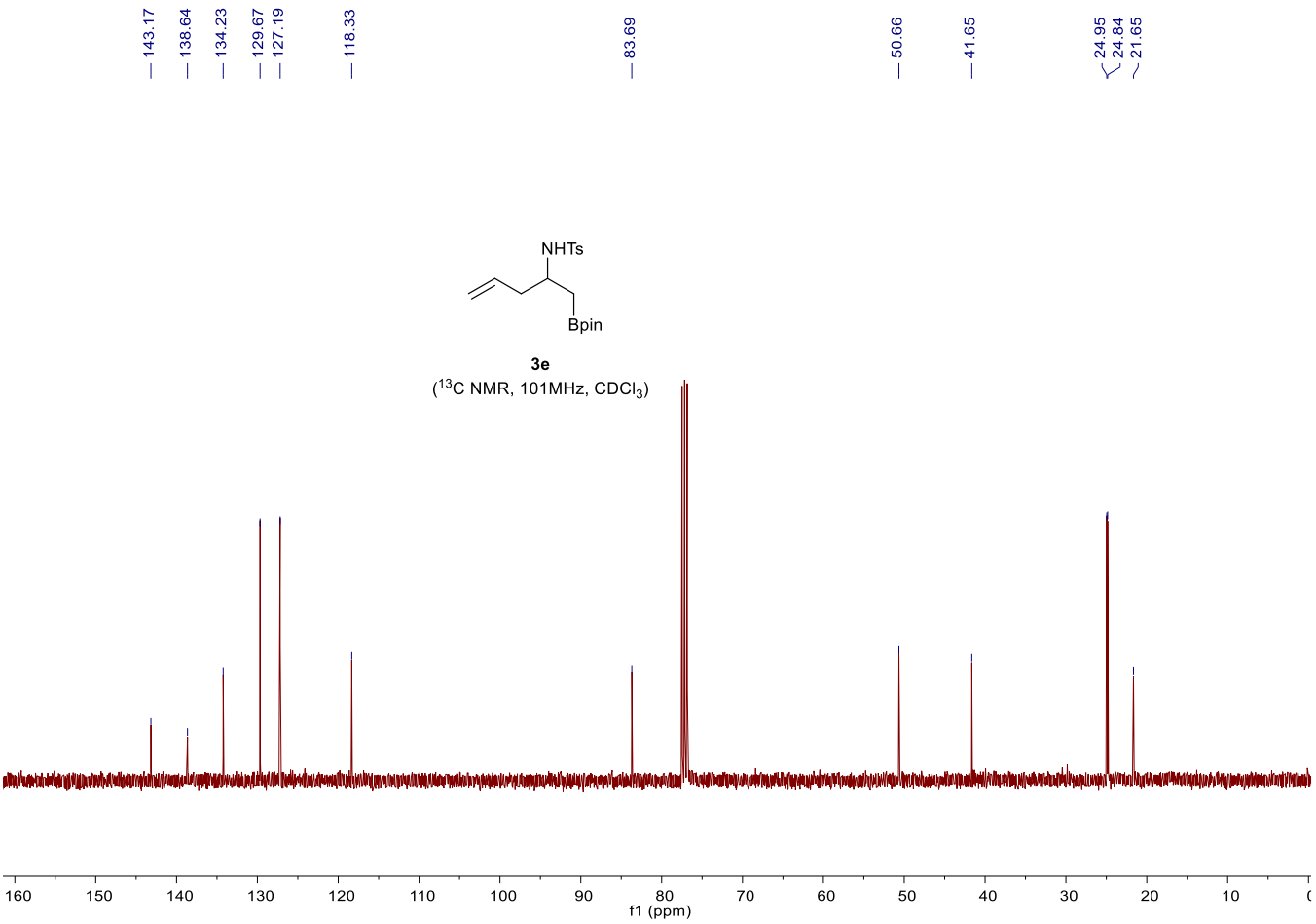


3d

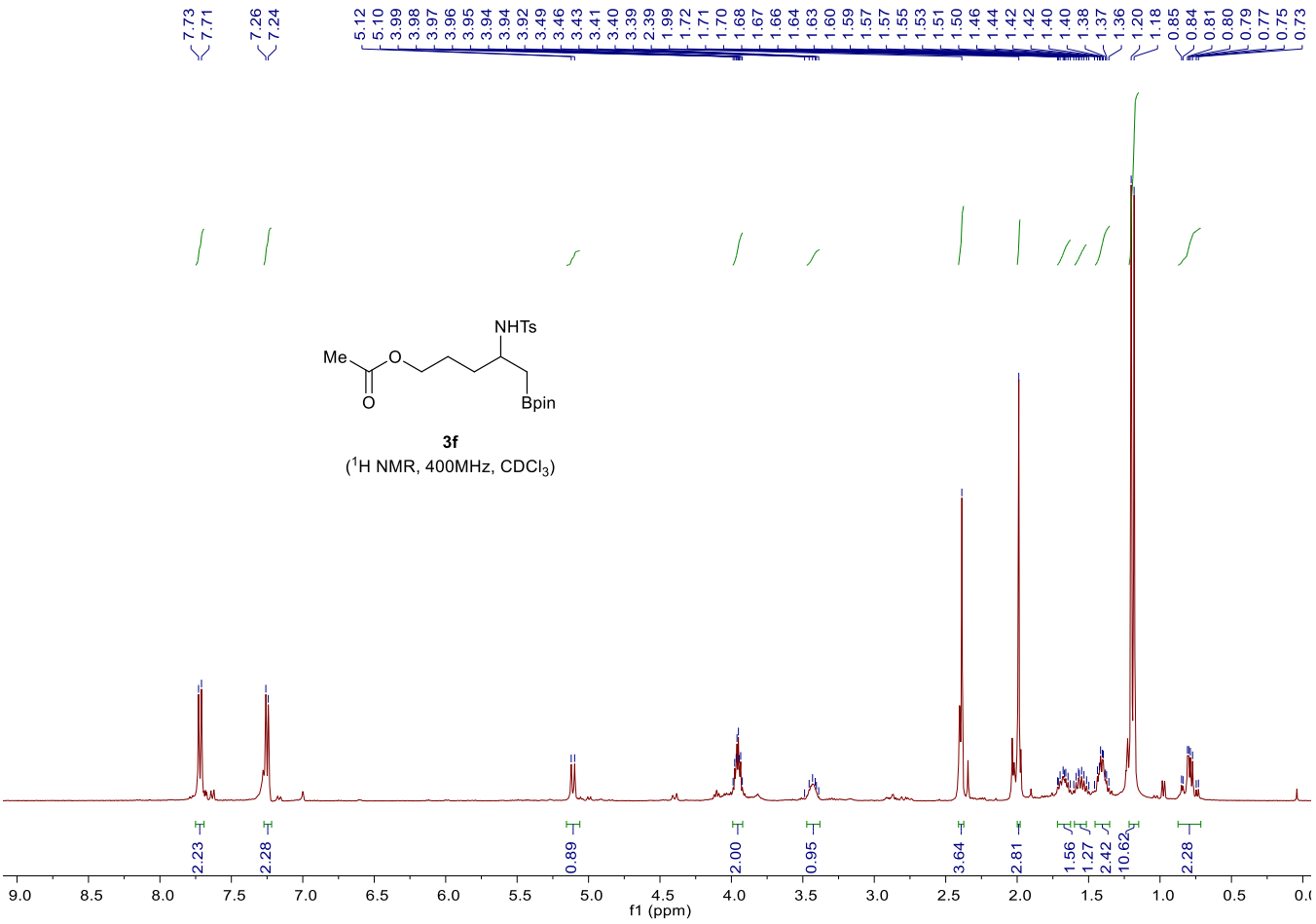
(^{13}C NMR, 101MHz, $CDCl_3$)



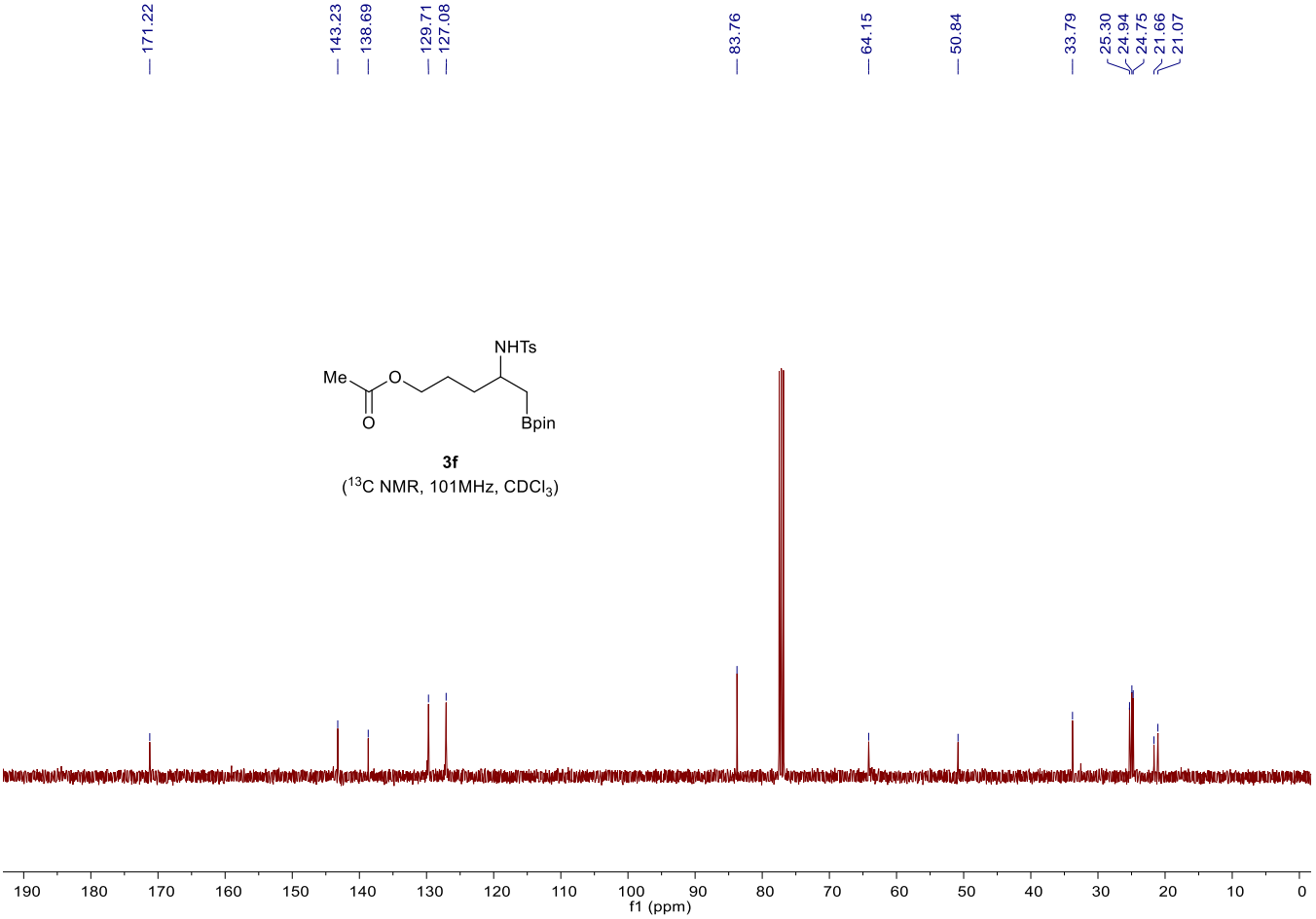
3e
(^{1}H NMR, 400MHz, $CDCl_3$)



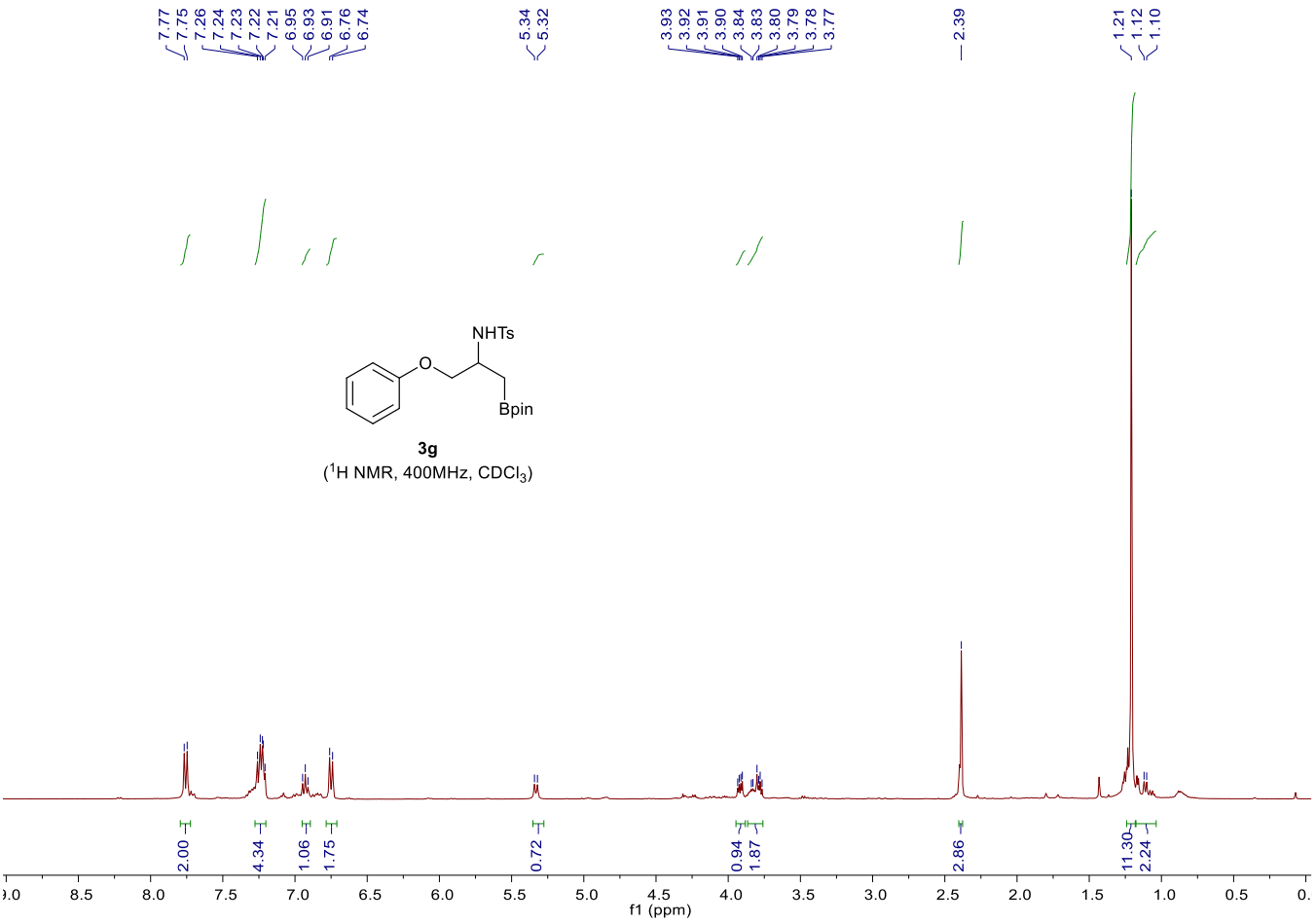
3e
(^{13}C NMR, 101MHz, $CDCl_3$)



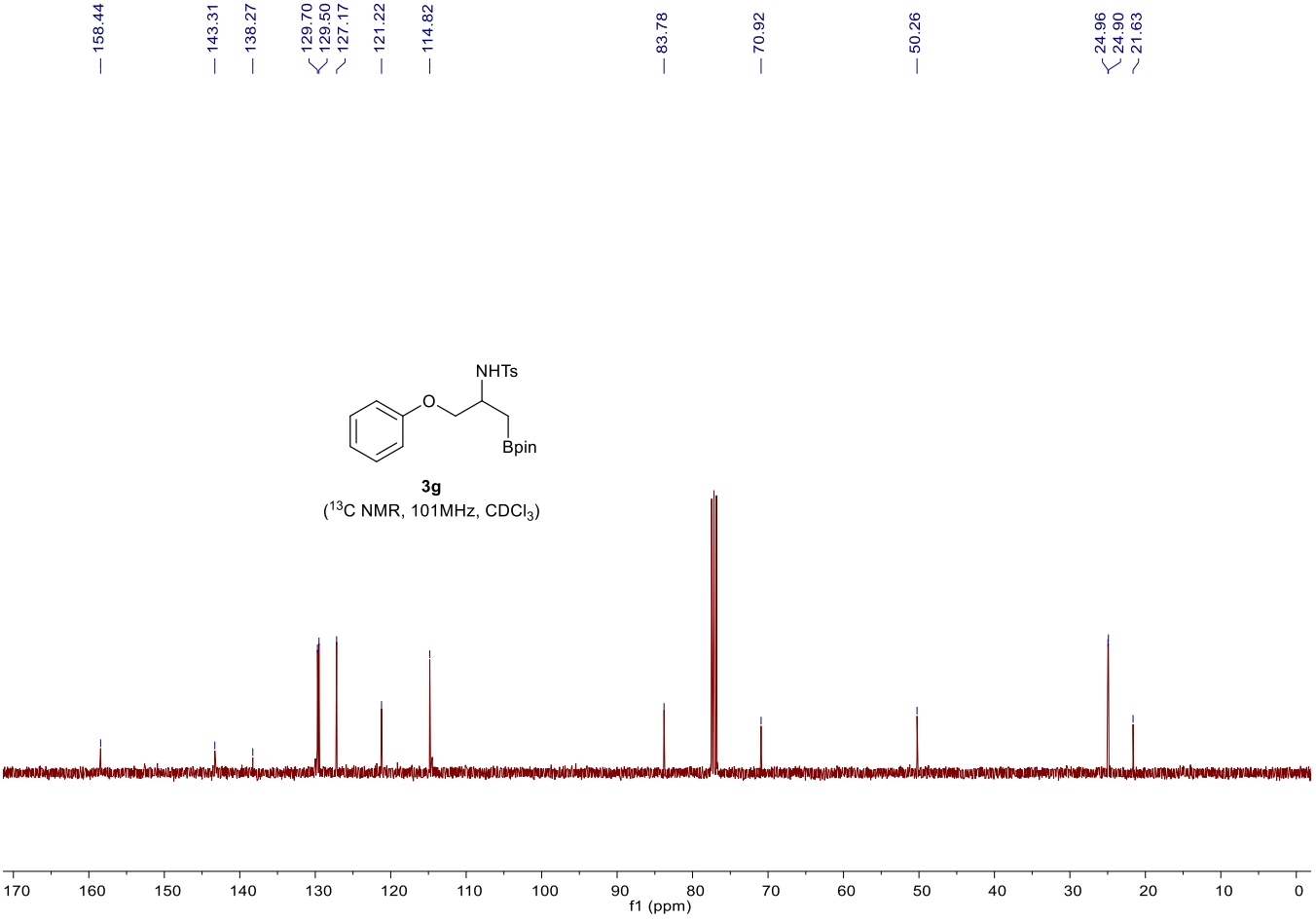
3f
(^{1}H NMR, 400MHz, $CDCl_3$)



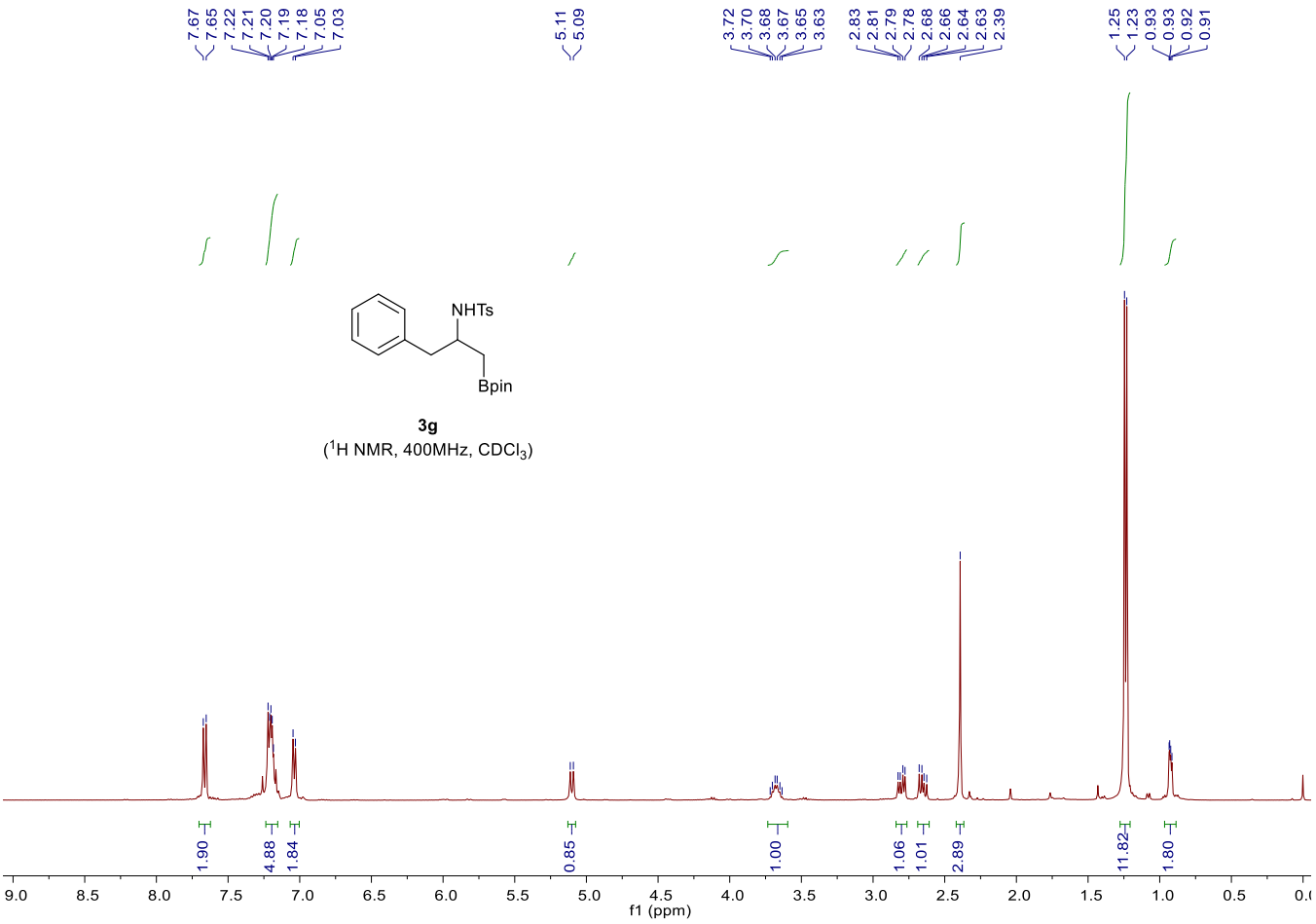
3f
(^{13}C NMR, 101MHz, $CDCl_3$)



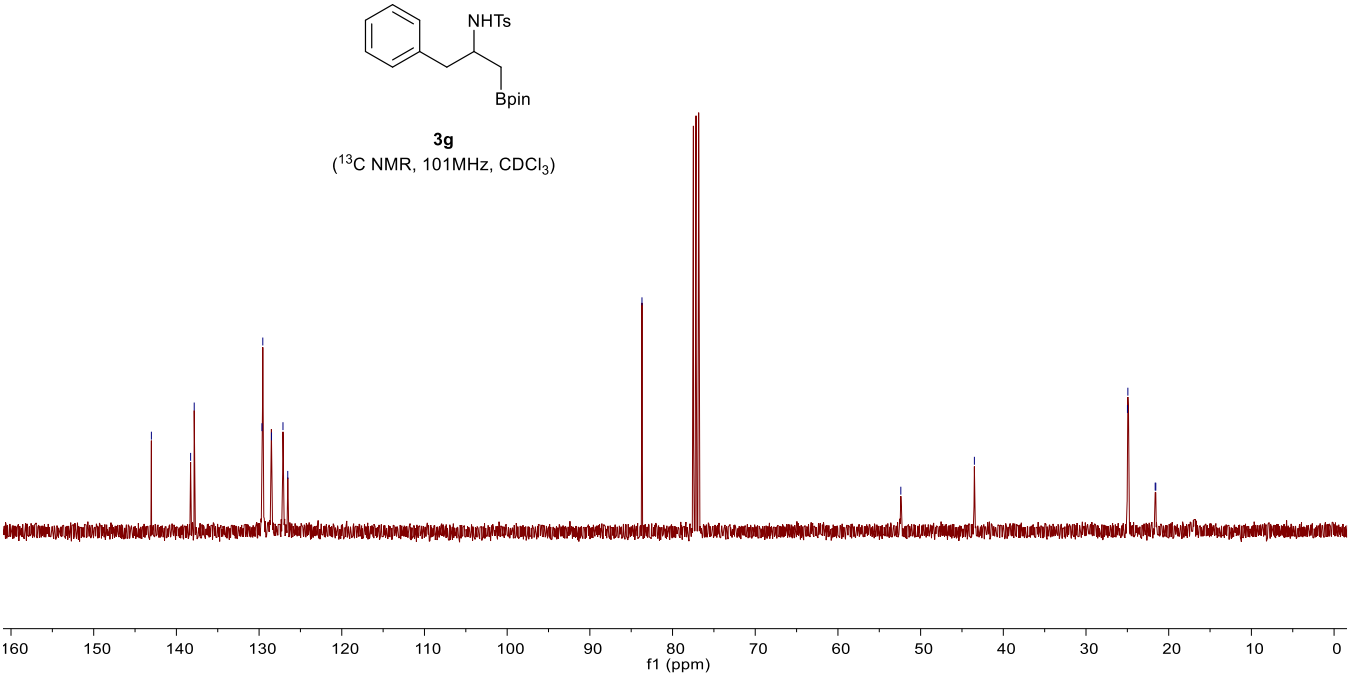
3g
(^{1}H NMR, 400MHz, $CDCl_3$)



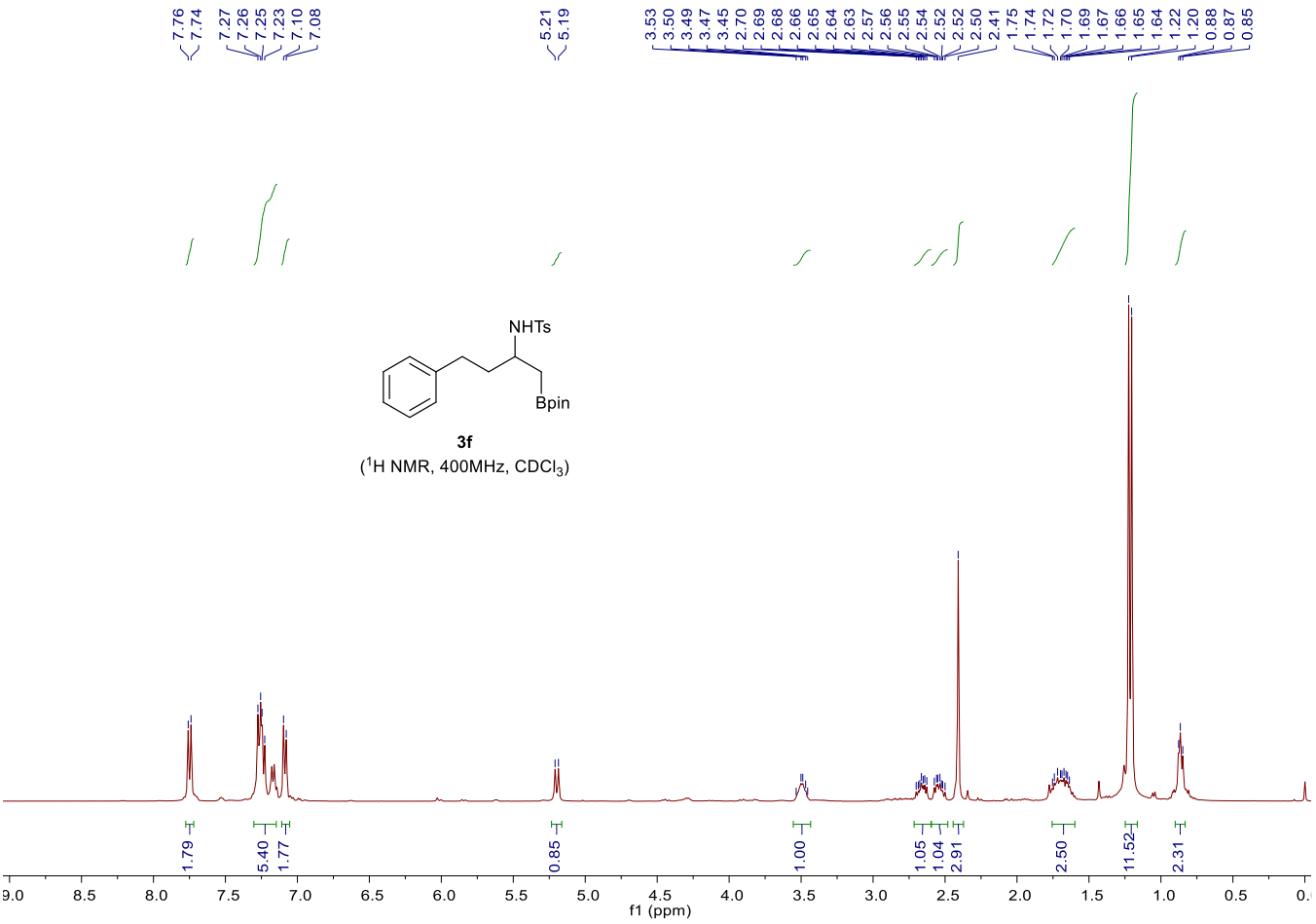
3g
(^{13}C NMR, 101MHz, $CDCl_3$)

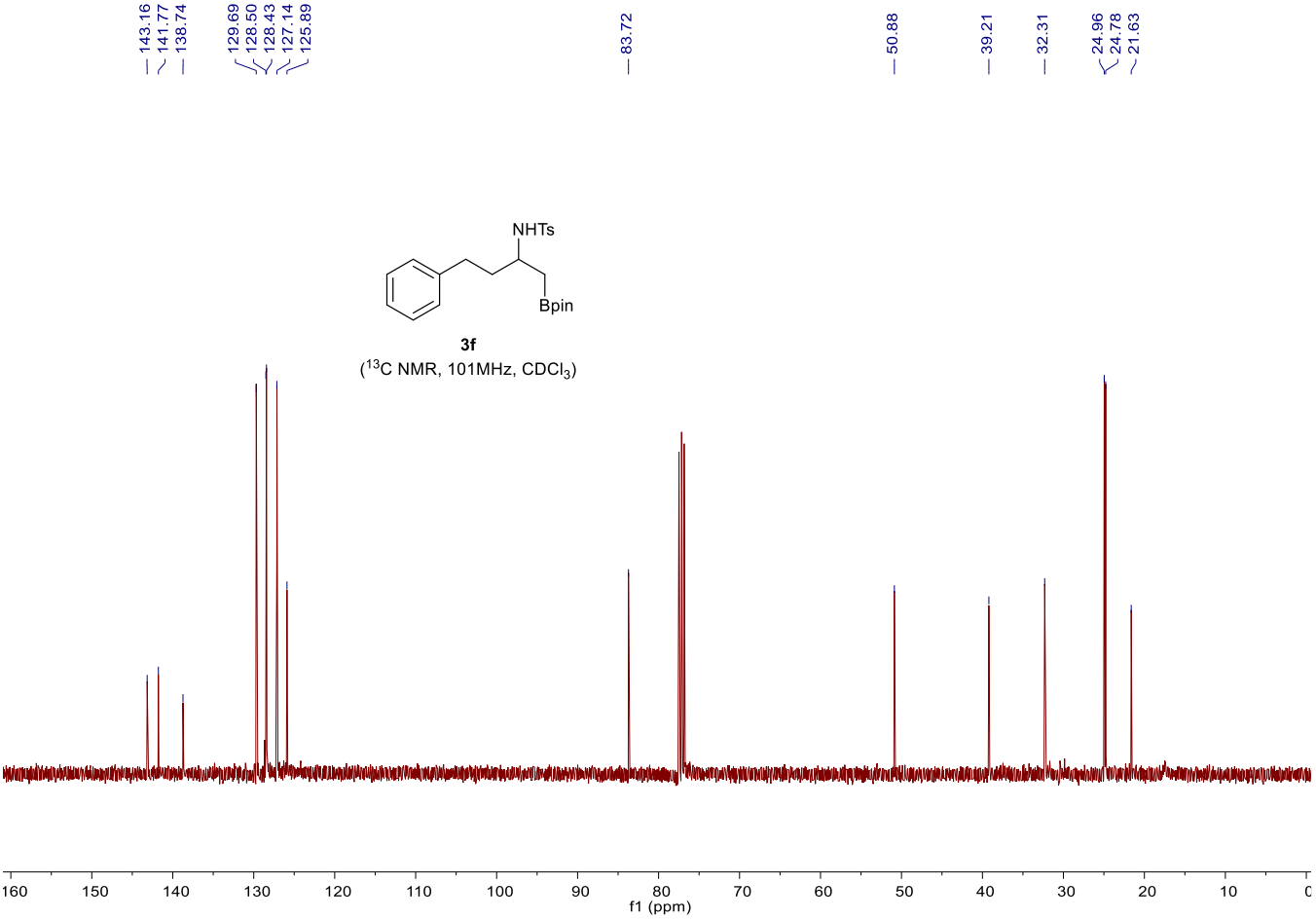


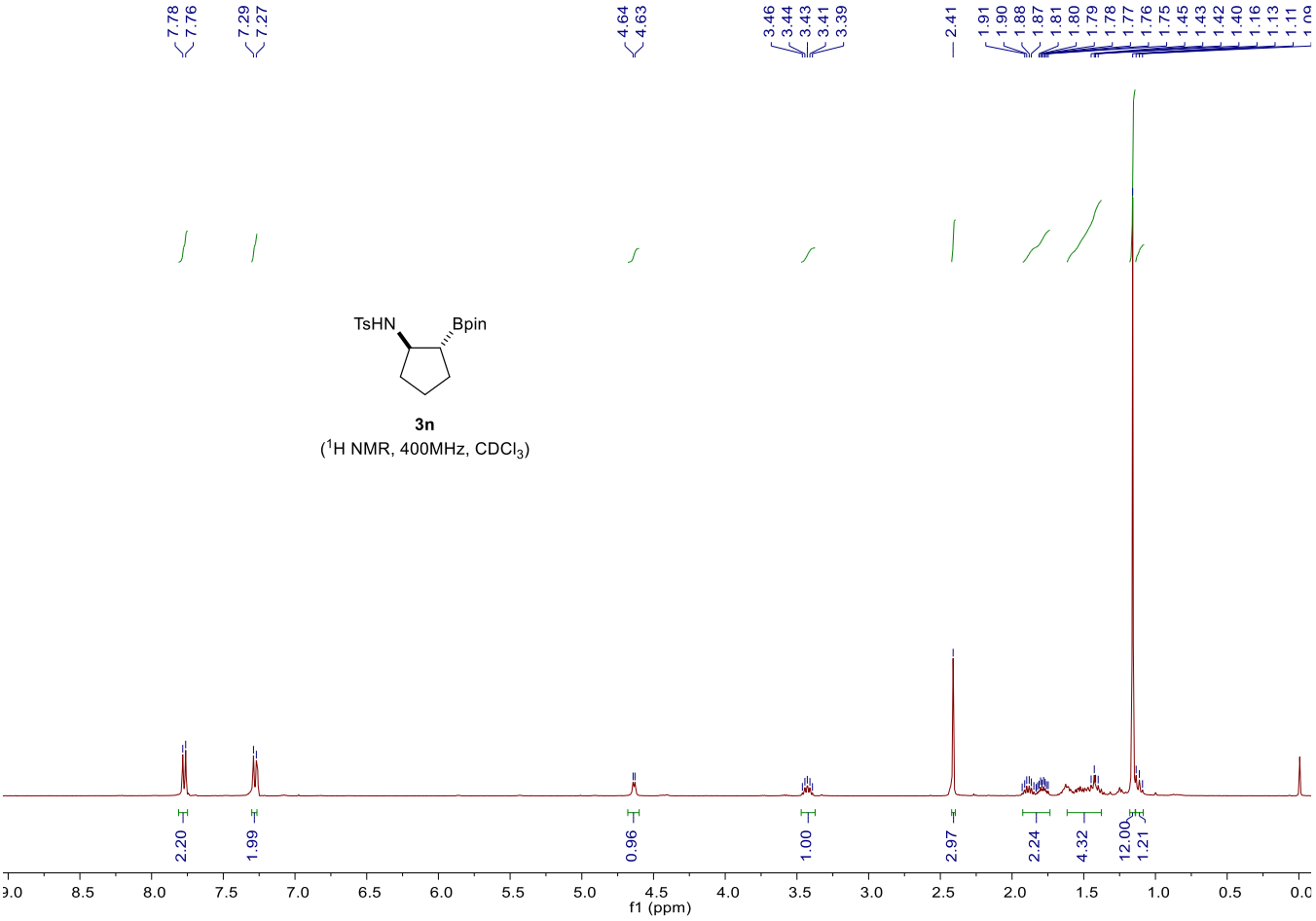
3g
(^{1}H NMR, 400MHz, $CDCl_3$)

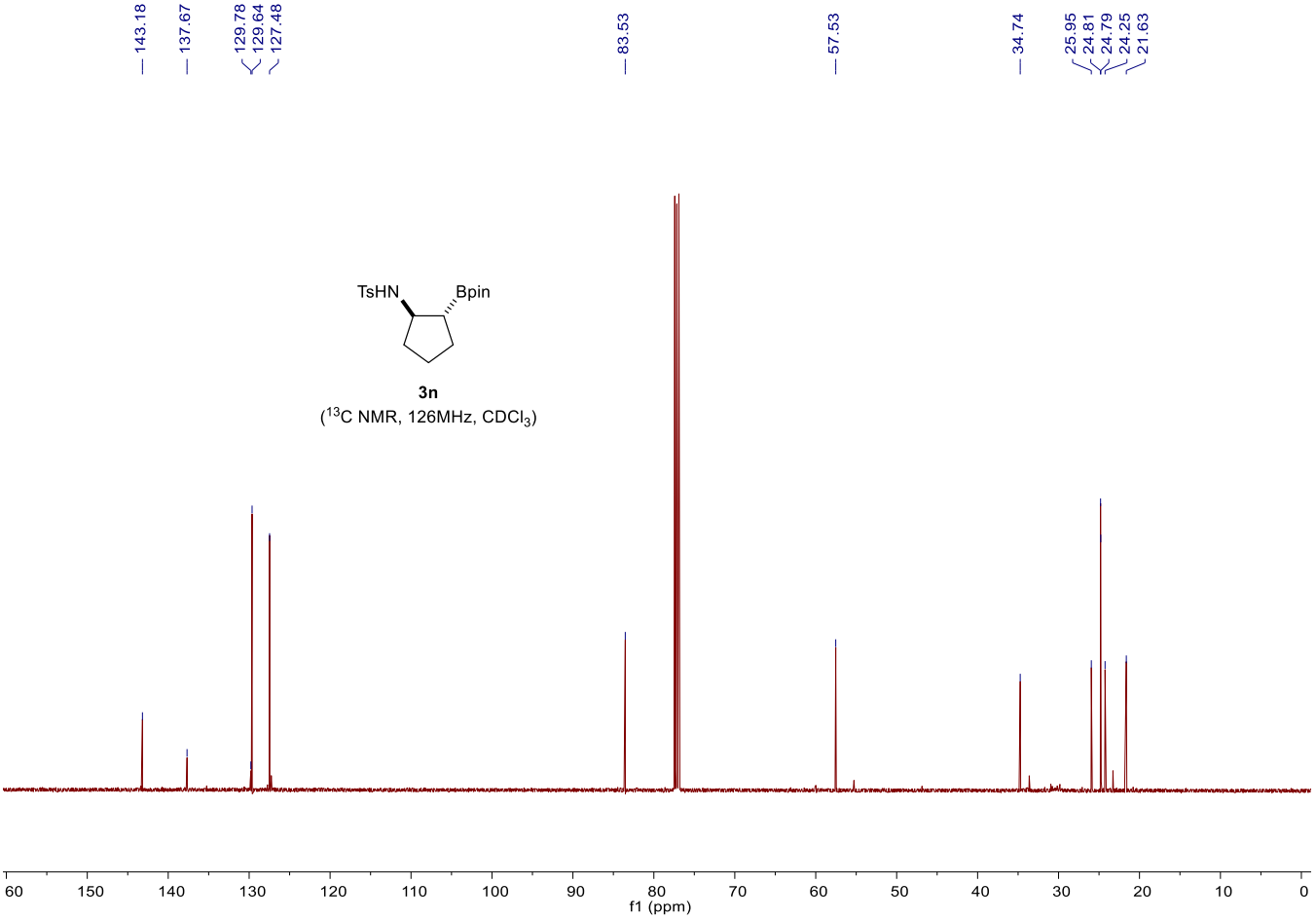


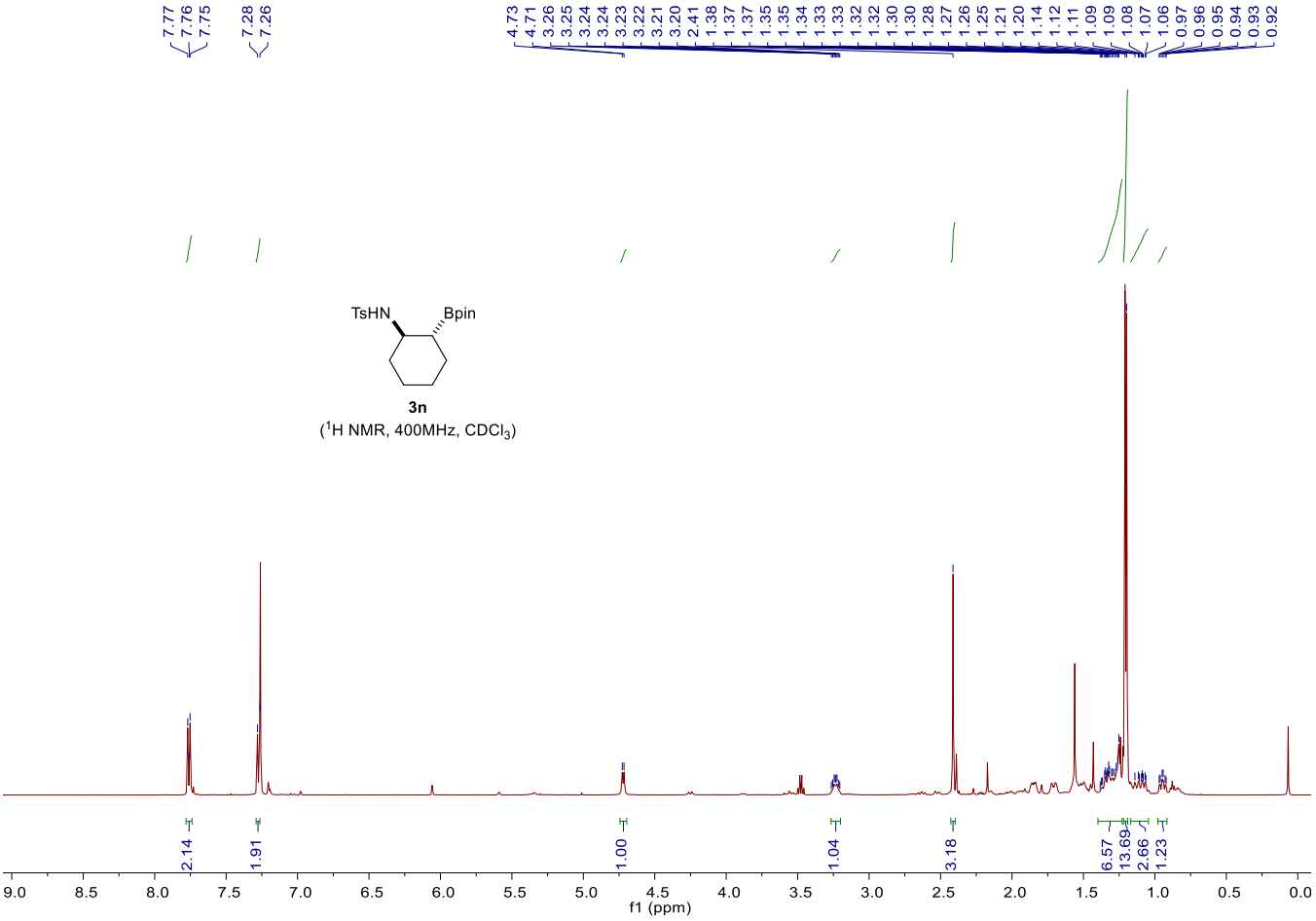
3g
(^{13}C NMR, 101MHz, $CDCl_3$)

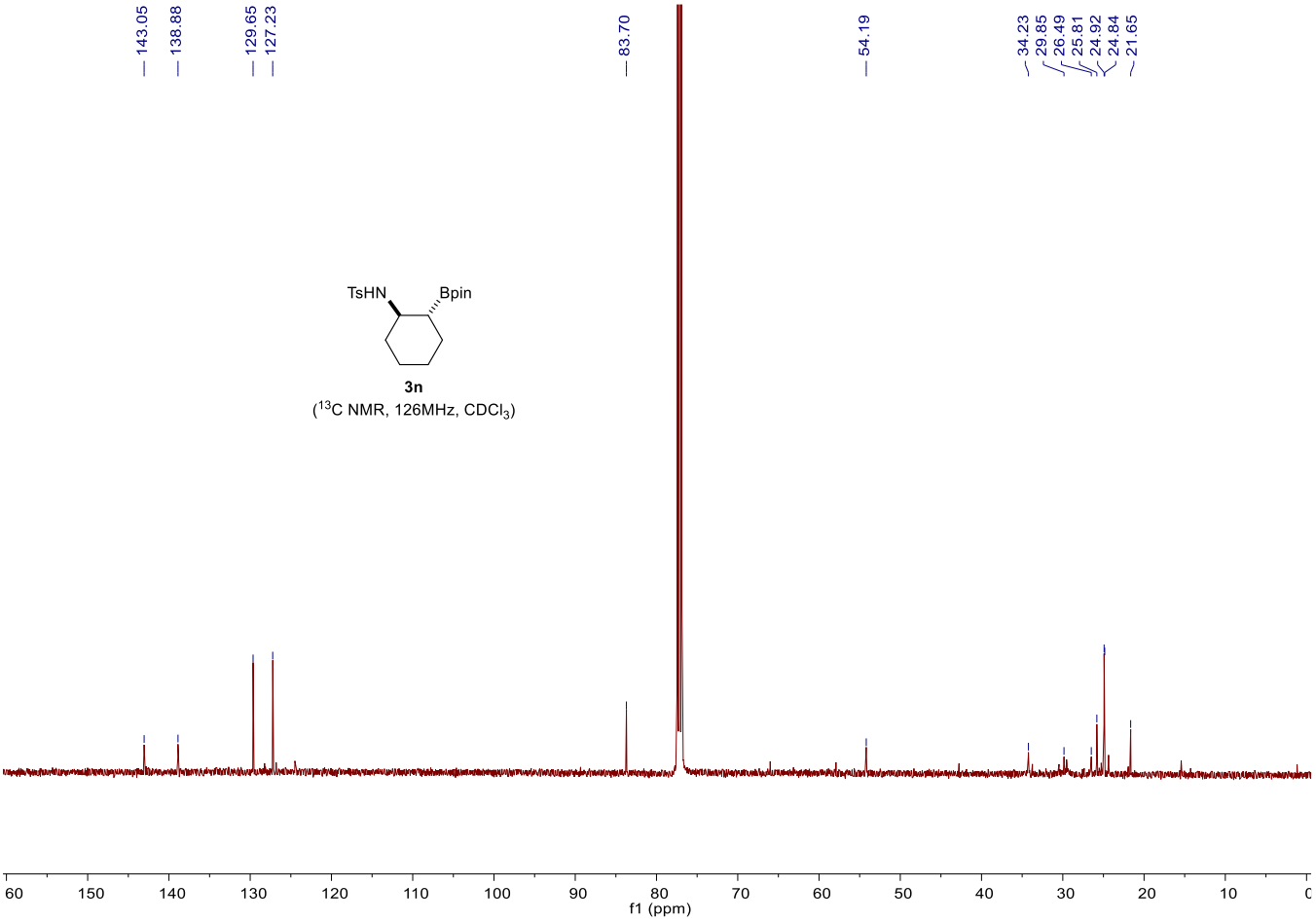

7.76
7.74
7.27
7.26
7.25
7.23
7.10
7.08
5.21
5.19
3.53
3.50
3.49
3.47
3.45
2.70
2.69
2.68
2.66
2.65
2.64
2.63
2.57
2.56
2.55
2.54
2.52
2.52
2.50
2.41
1.75
1.74
1.72
1.70
1.69
1.67
1.66
1.65
1.64
1.22
1.20
0.88
0.87
0.85
NHTs
Bpin
3f
(1H NMR, 400MHz, CDCl3)
1.79
5.40
1.77
0.85
1.00
1.05
1.04
2.91
2.50
11.52
2.31
f1 (ppm)

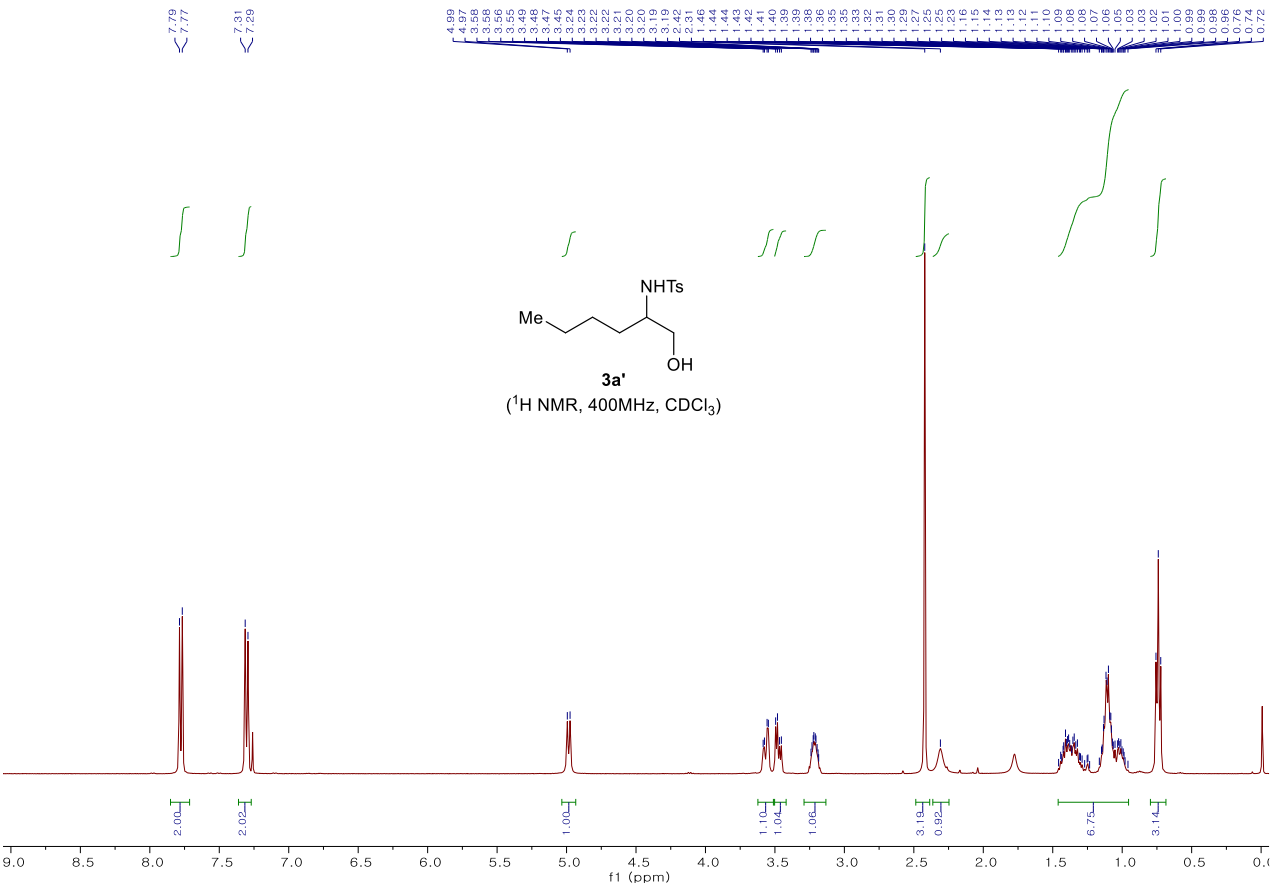

143.16
141.77
138.74
129.69
128.50
128.43
127.14
125.89
83.72
50.88
39.21
32.31
24.96
24.78
21.63
NHTs
Bpin
3f
(13C NMR, 101MHz, CDCl3)
f1 (ppm)

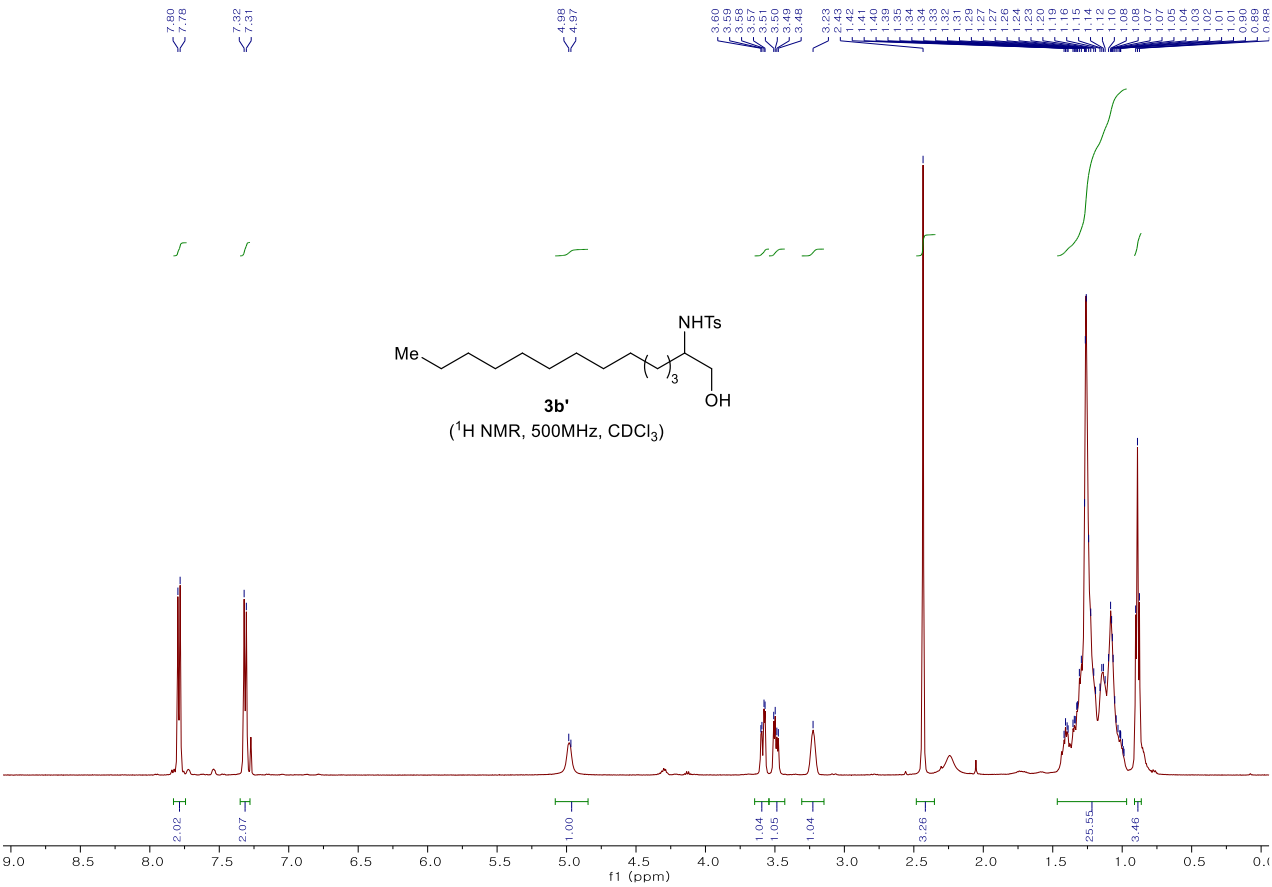

7.78
7.76
7.29
7.27
4.64
4.63
3.46
3.44
3.43
3.41
3.39
2.41
1.91
1.90
1.88
1.87
1.81
1.80
1.79
1.78
1.77
1.76
1.75
1.45
1.43
1.42
1.40
1.16
1.13
1.11
TsHN
Bpin
3n
(1H NMR, 400MHz, CDCl3)
2.20
1.99
0.96
1.00
2.97
2.24
4.32
12.00
1.21
f1 (ppm)

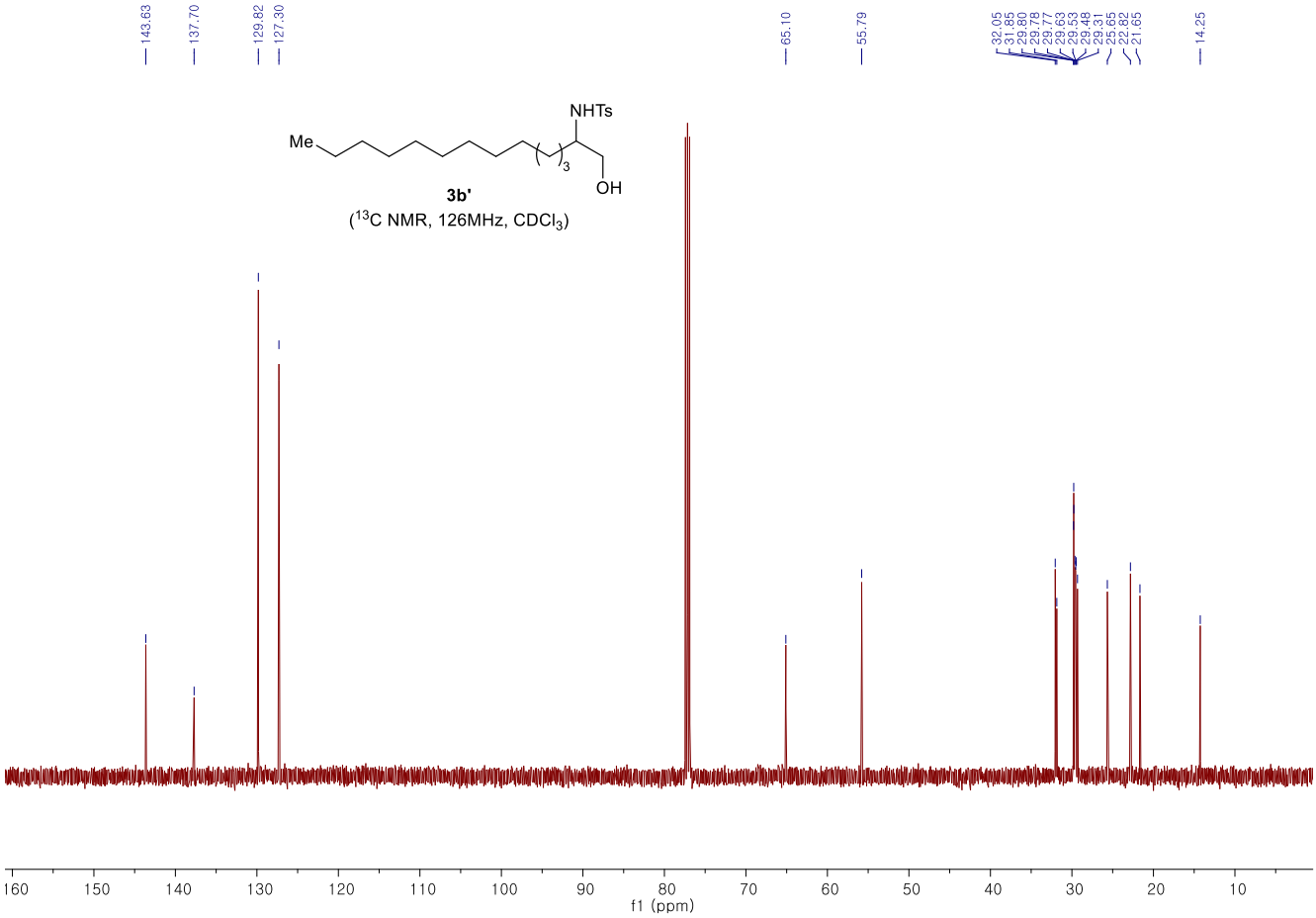

143.18
137.67
129.78
129.64
127.48
83.53
57.53
34.74
25.95
24.81
24.79
24.25
21.63
TsHN
Bpin
3n
(13C NMR, 126MHz, CDCl3)
f1 (ppm)

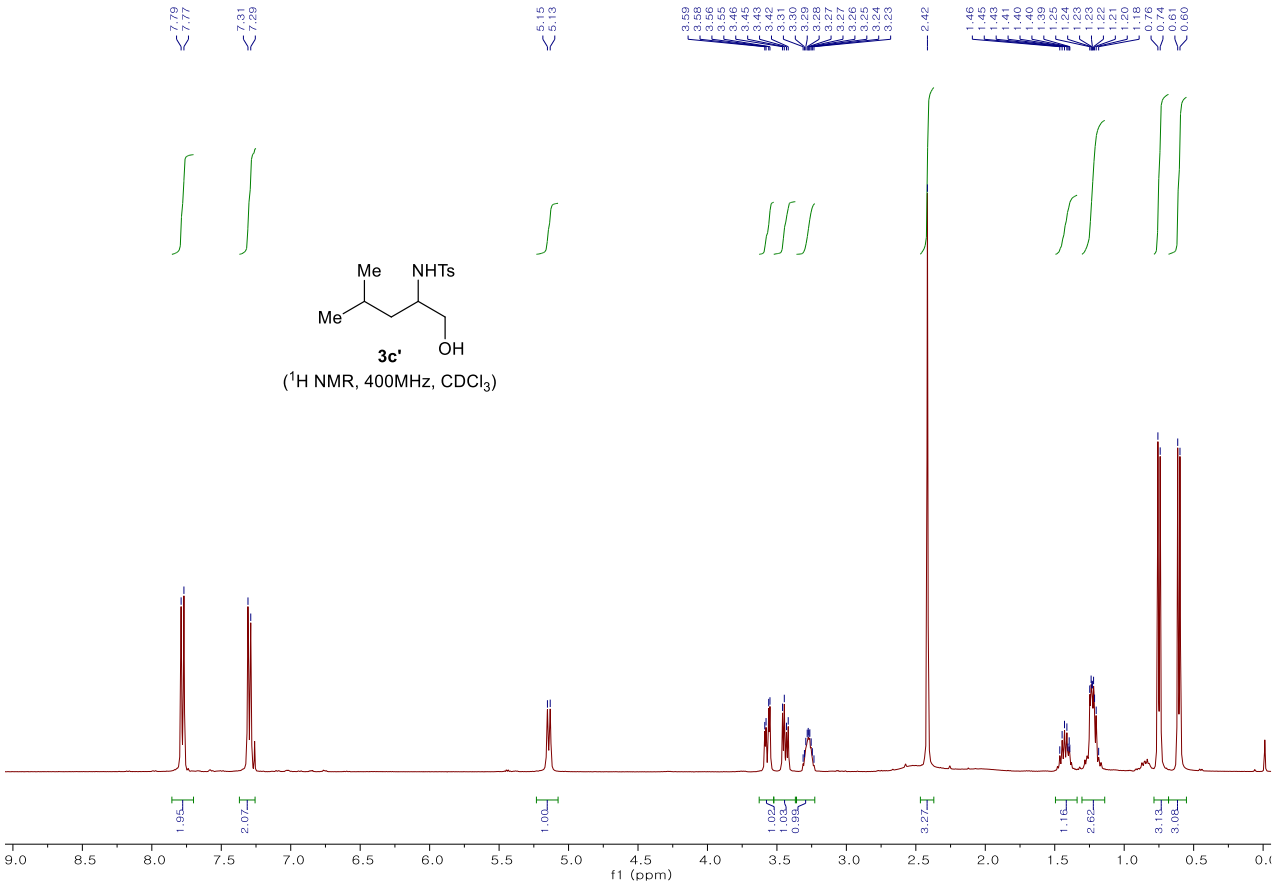

7.77
7.76
7.75
7.28
7.26
4.73
4.71
3.26
3.25
3.24
3.24
3.23
3.22
3.21
3.20
2.41
1.38
1.37
1.37
1.35
1.35
1.34
1.33
1.33
1.32
1.32
1.30
1.30
1.28
1.27
1.26
1.25
1.21
1.20
1.14
1.12
1.11
1.09
1.09
1.08
1.07
1.06
0.97
0.96
0.95
0.94
0.93
0.92
TsHN
Bpin
3n
(1H NMR, 400MHz, CDCl3)
2.14
1.91
1.00
1.04
3.18
6.57
13.69
2.66
1.23
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

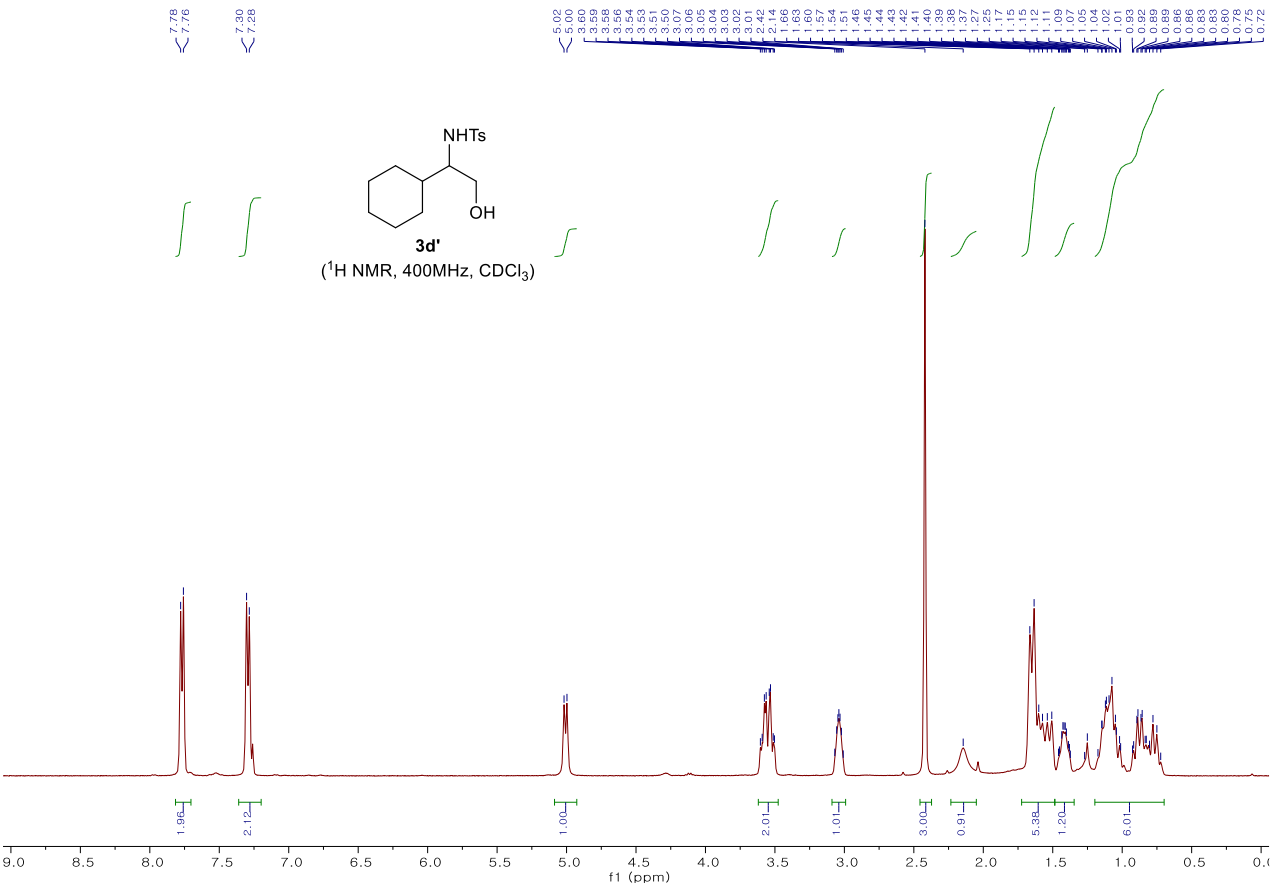

143.05
138.88
129.65
127.23
83.70
54.19
34.23
29.85
26.49
25.81
24.92
24.84
21.65
TsHN
Bpin
3n
(13C NMR, 126MHz, CDCl3)
60
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

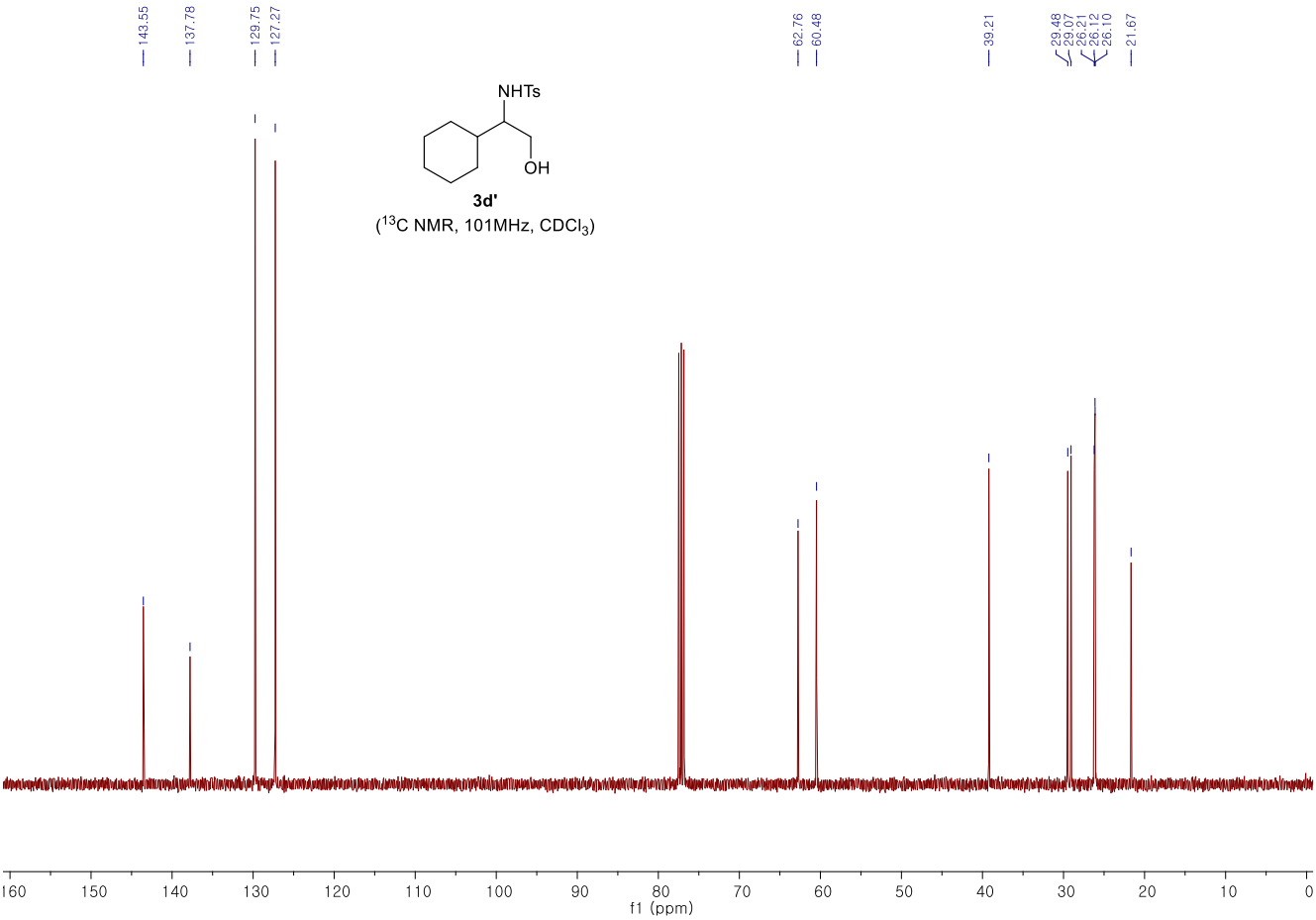

NHTs
Me
OH
3a'
(1H NMR, 400MHz, CDCl3)
f1 (ppm)

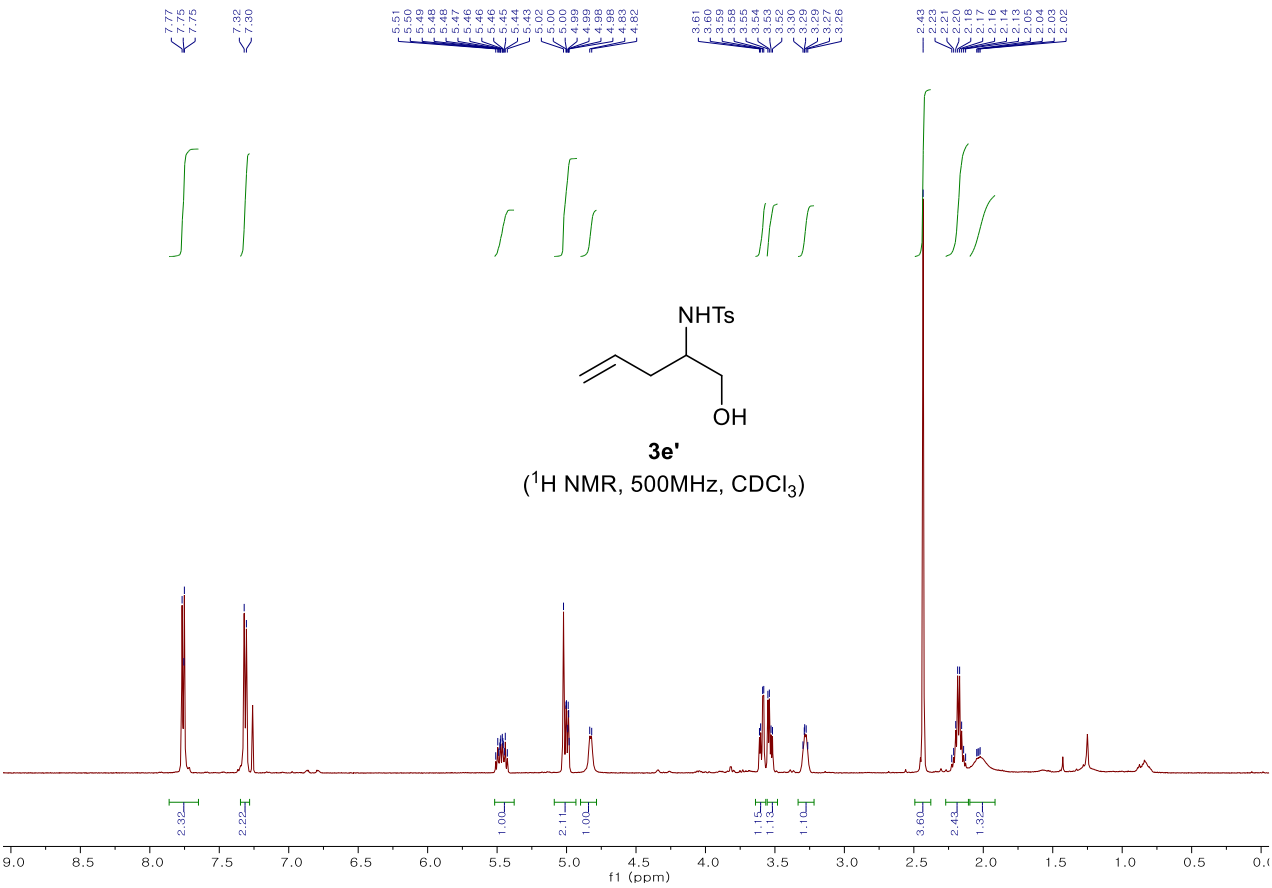

NHTs
Me
3
OH
3b'
(1H NMR, 500MHz, CDCl3)
f1 (ppm)


143.63
137.70
129.82
127.30
65.10
55.79
32.05
31.85
29.80
29.78
29.77
29.63
29.53
29.48
29.31
25.65
22.82
21.65
14.25
NHTs
Me
3
OH
3b'
(13C NMR, 126MHz, CDCl3)
f1 (ppm)


7.79
7.77
7.31
7.29
5.15
5.13
2.42
1.46
1.45
1.43
1.41
1.40
1.40
1.29
1.24
1.23
1.23
1.22
1.21
1.20
1.18
0.76
0.74
0.61
0.60
Me
NHTs
Me
OH
3c'
(1H NMR, 400MHz, CDCl3)
1.95
2.07
1.00
3.27
1.16
2.62
3.13
3.08
f1 (ppm)

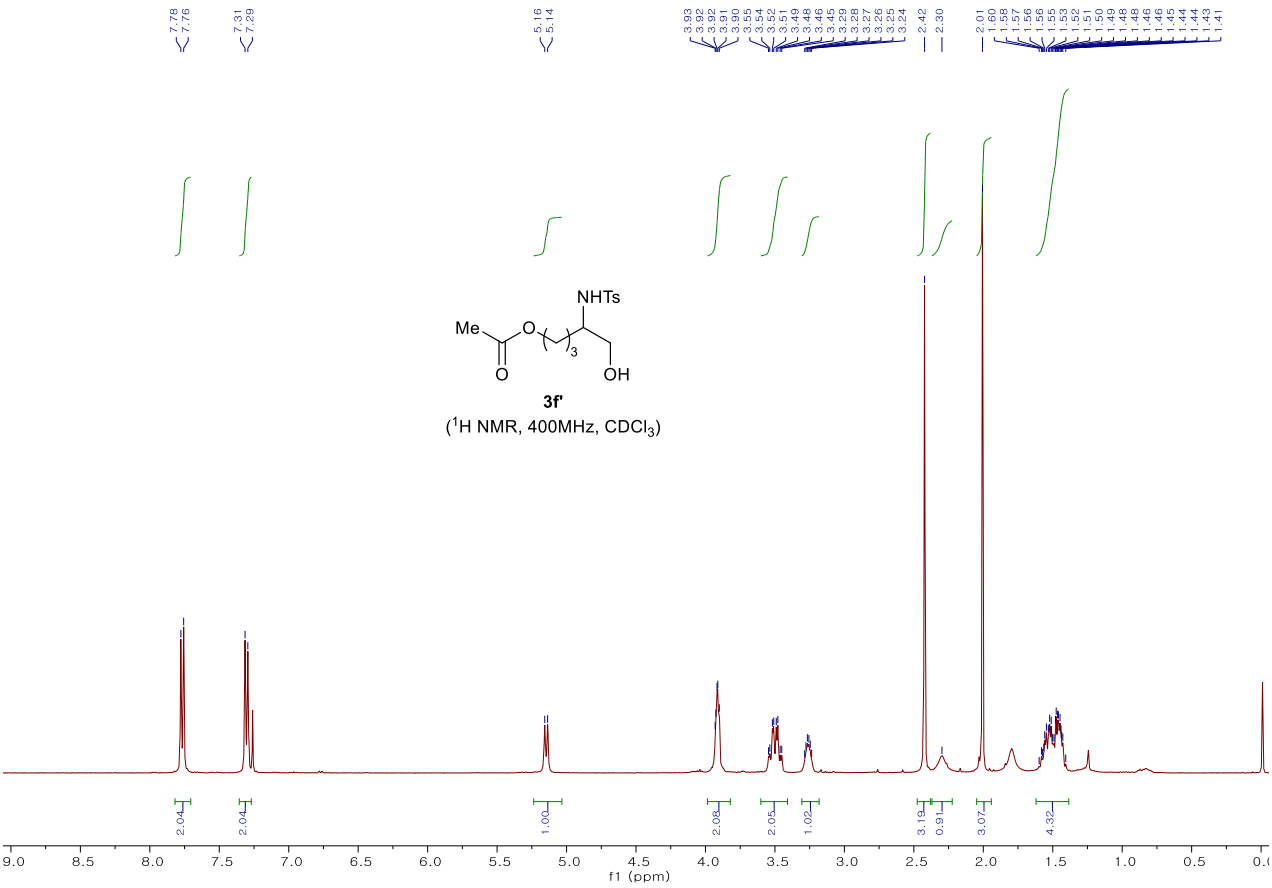

NHTs
OH
3d'
(1H NMR, 400MHz, CDCl3)
f1 (ppm)


NHTs
OH
3d'
(13C NMR, 101MHz, CDCl3)
f1 (ppm)



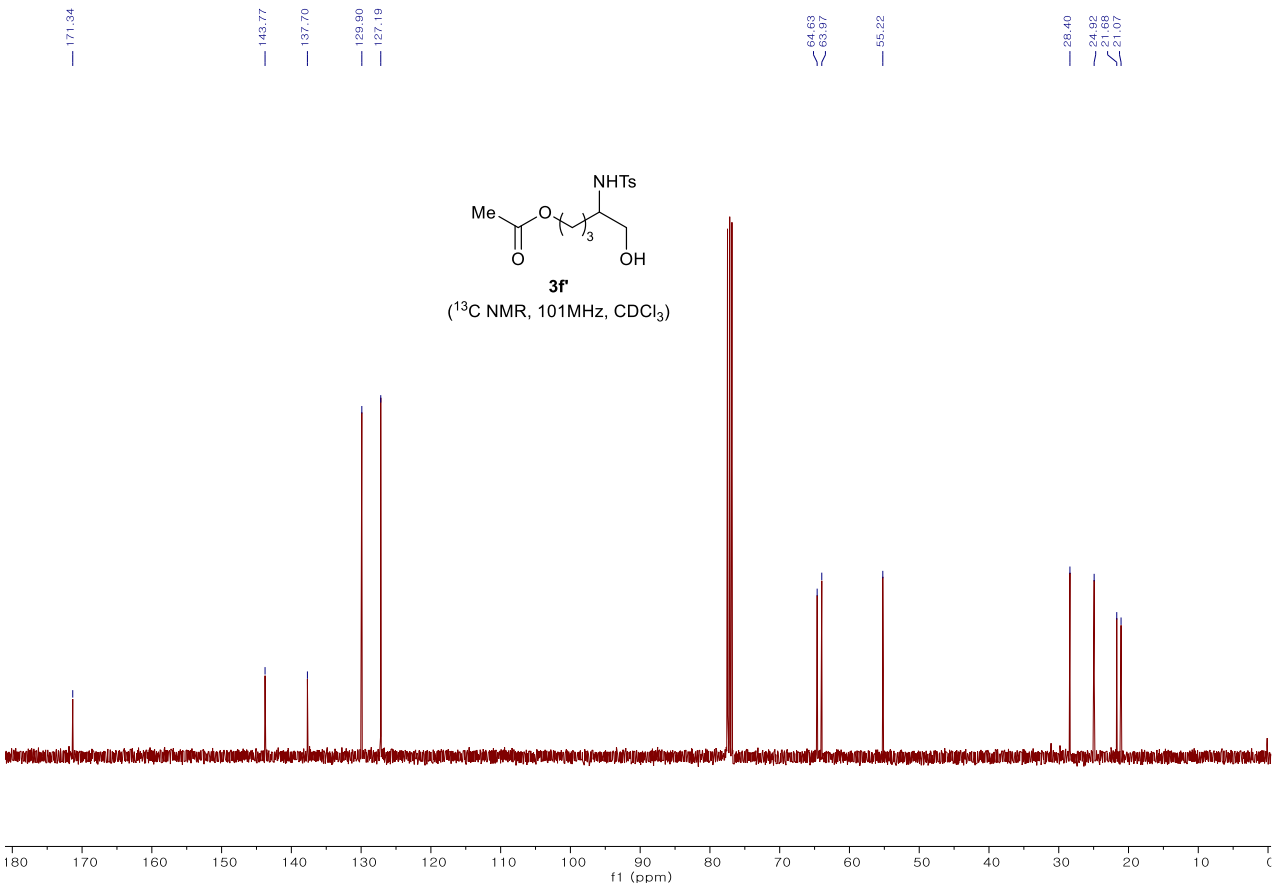
3e'

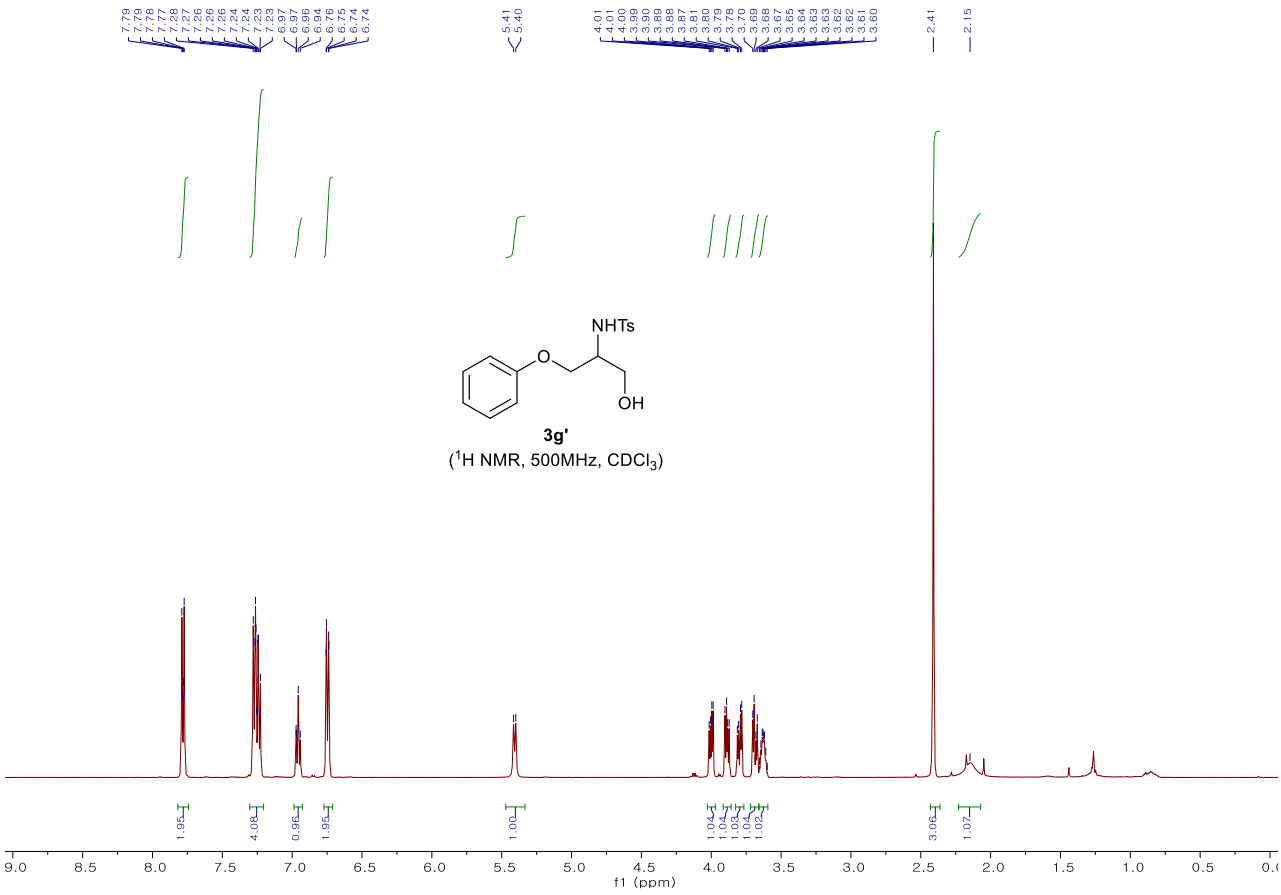
(^{1}H NMR, 500MHz, $CDCl_3$)

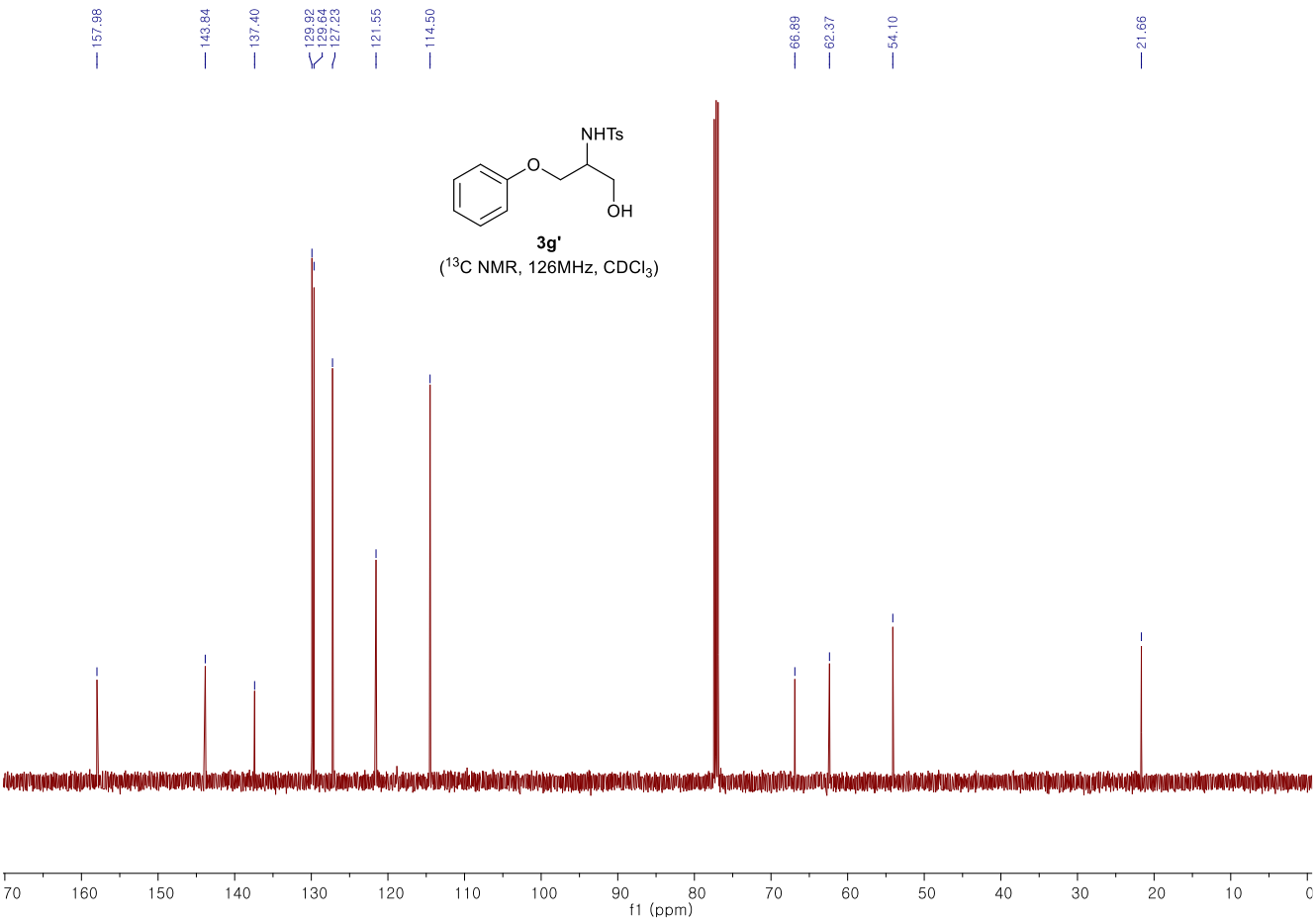


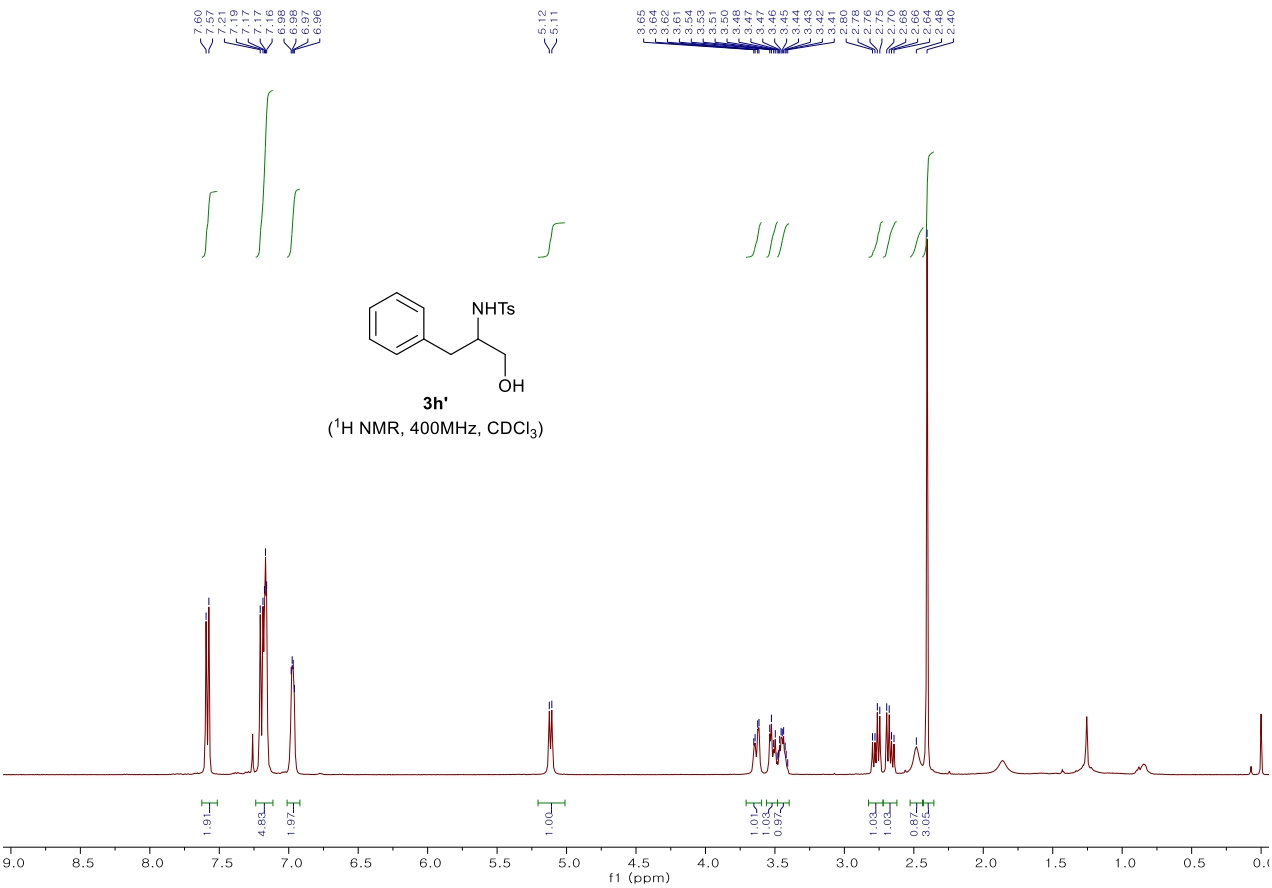
3f'

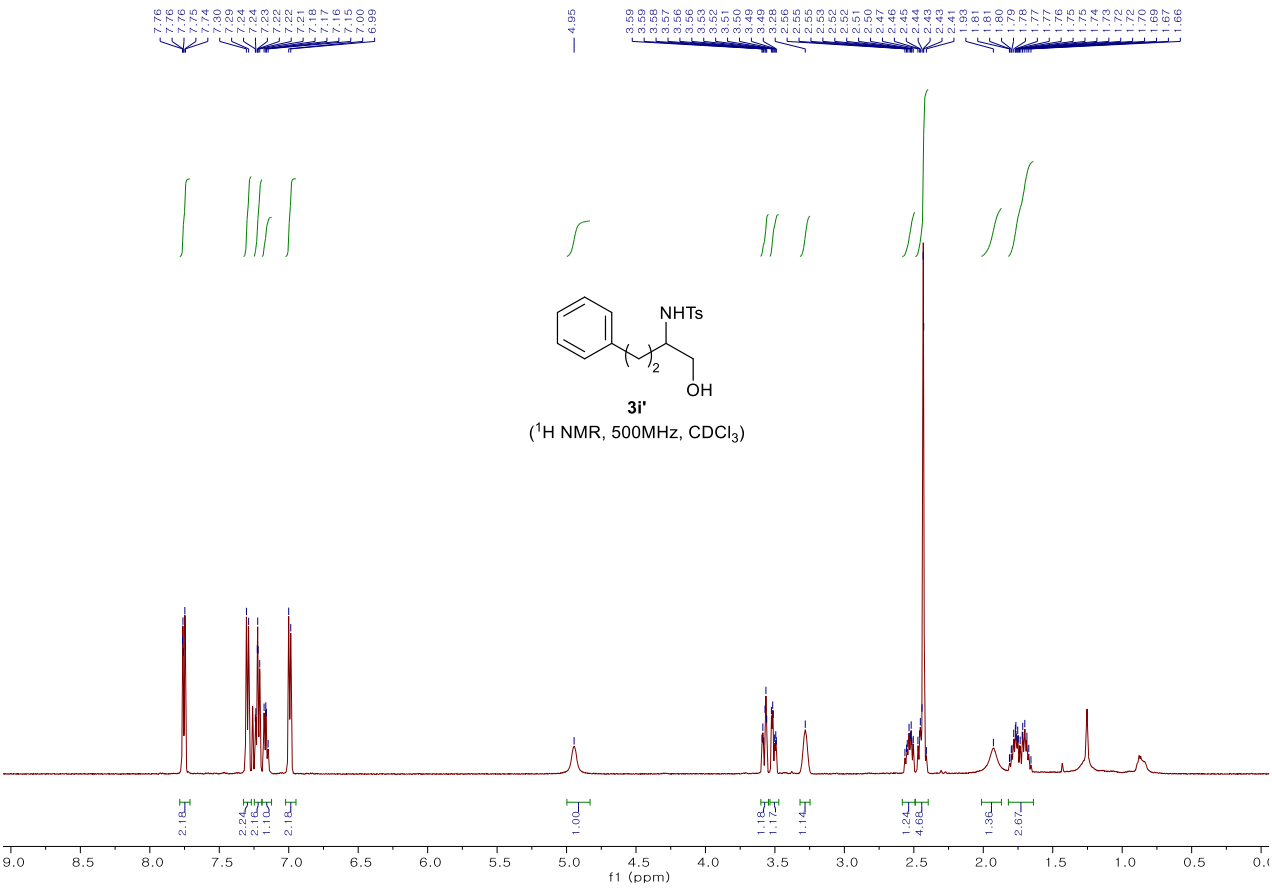
(^{1}H NMR, 400MHz, $CDCl_3$)


171.34
143.77
137.70
129.90
127.19
64.63
63.97
55.22
28.40
24.92
21.68
21.07
NHTs
Me
O
3
OH
3f'
(13C NMR, 101MHz, CDCl3)
f1 (ppm)


7.79
7.78
7.77
7.29
7.27
7.26
7.24
7.23
6.97
6.96
6.94
6.76
6.75
6.74
5.41
5.40
4.01
4.00
3.99
3.90
3.89
3.88
3.87
3.81
3.80
3.79
3.78
3.70
3.69
3.68
3.67
3.66
3.64
3.63
3.62
3.61
3.60
2.41
2.15
NHTs
O
OH
3g'
(1H NMR, 500MHz, CDCl3)
1.95
4.08
0.96
1.95
1.00
1.04
1.04
1.03
1.04
1.02
3.06
1.07
f1 (ppm)

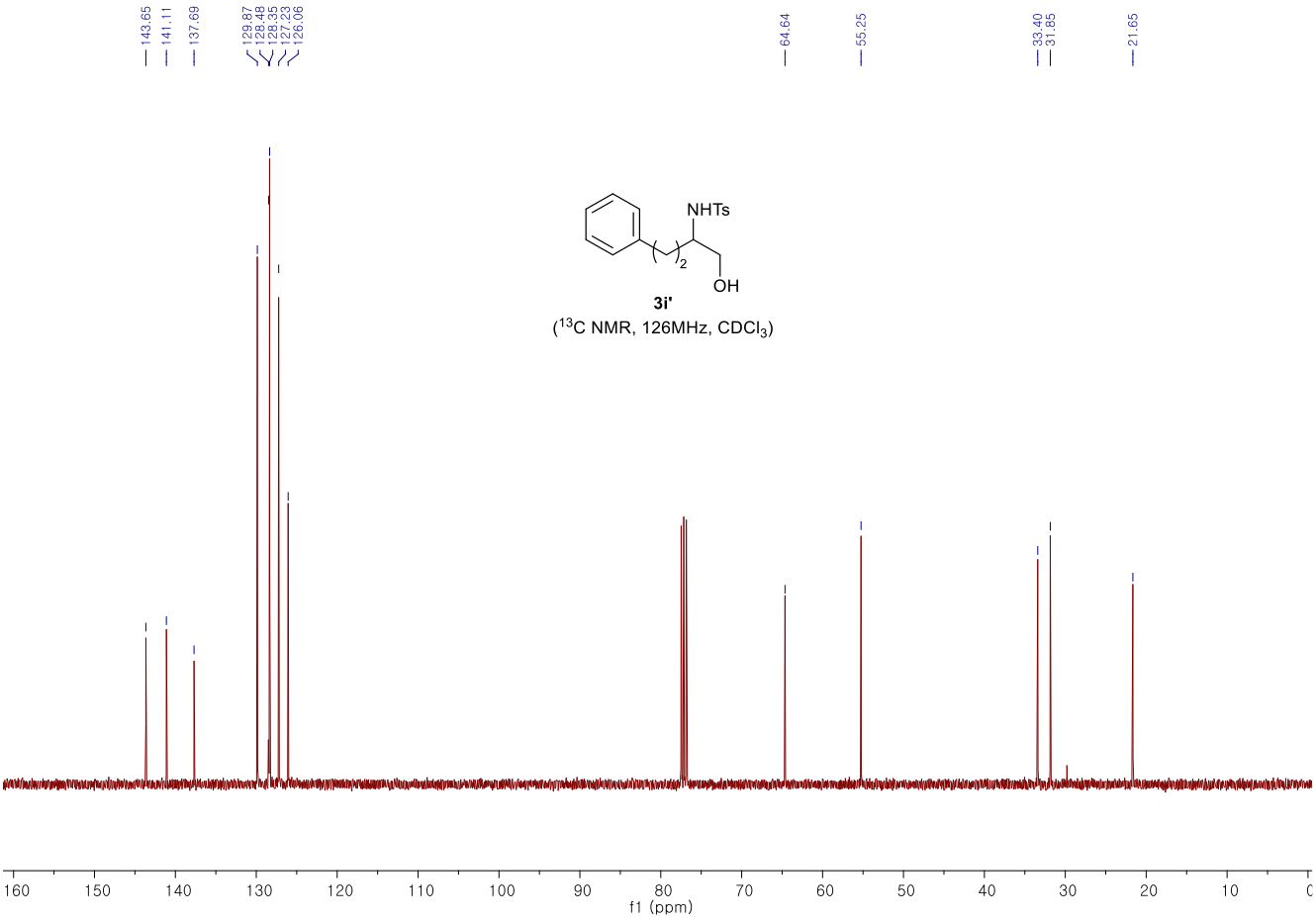

157.98
143.84
137.40
129.92
129.64
127.23
121.55
114.50
66.89
62.37
54.10
21.66
NHTs
O
OH
3g'
(13C NMR, 126MHz, CDCl3)
f1 (ppm)


7.60
7.57
7.21
7.19
7.17
7.17
7.15
6.98
6.98
6.97
6.96
5.12
5.11
3.65
3.64
3.62
3.61
3.54
3.53
3.51
3.50
3.48
3.47
3.47
3.46
3.45
3.44
3.43
3.42
3.41
2.80
2.78
2.76
2.75
2.70
2.68
2.66
2.64
2.48
2.40
NHTs
OH
3h'
(1H NMR, 400MHz, CDCl3)
1.91
4.83
1.97
1.00
1.01
1.03
0.97
1.03
1.03
0.87
3.05
f1 (ppm)



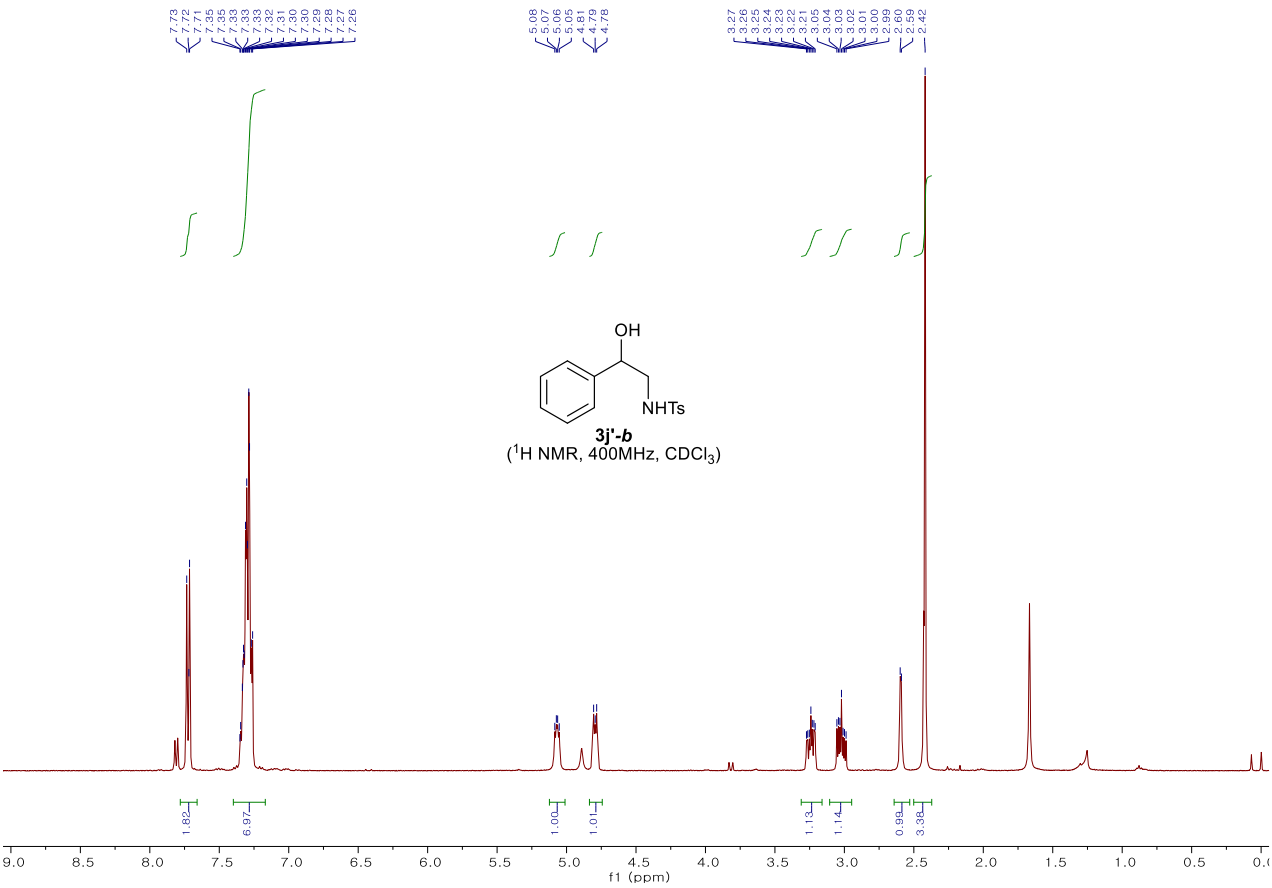
3i'

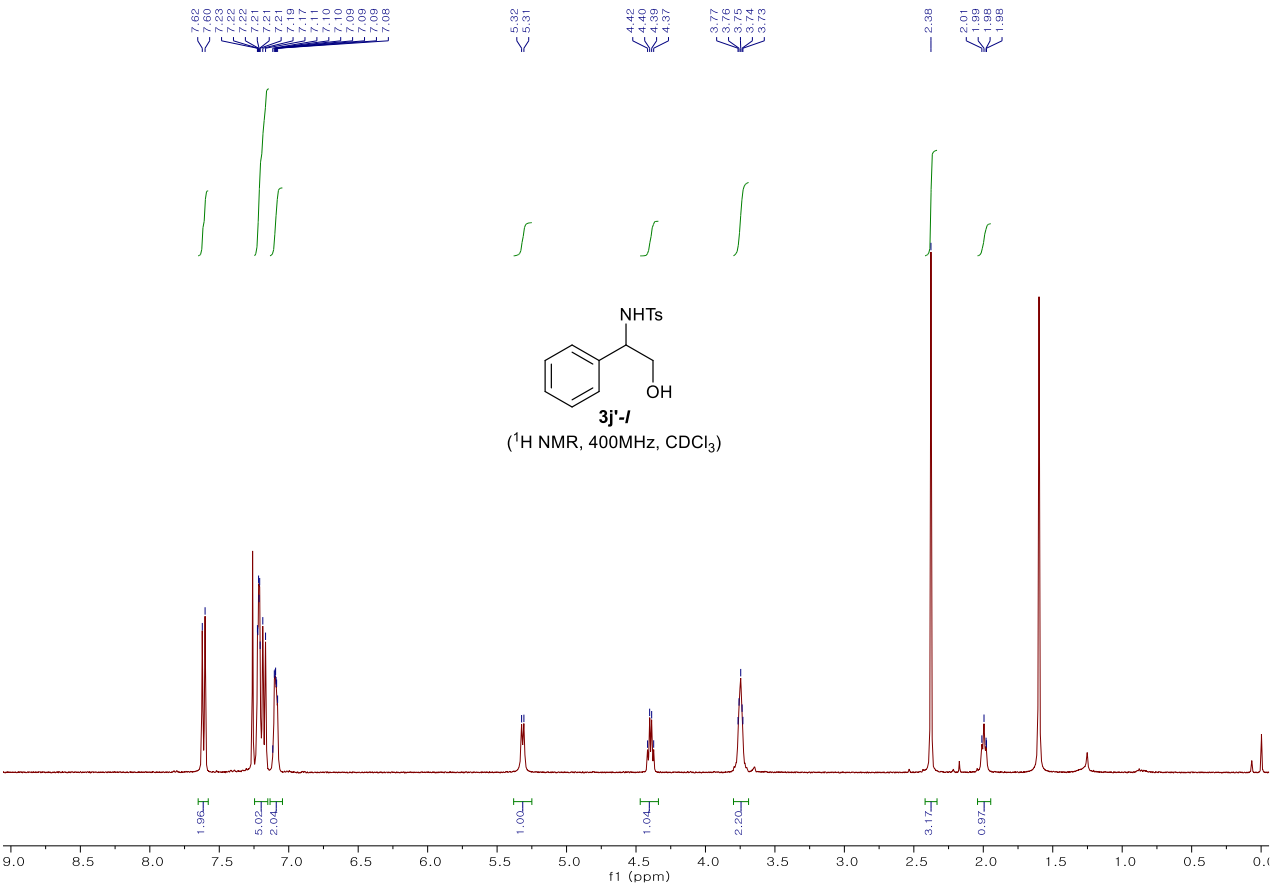
(^{1}H NMR, 500MHz, $CDCl_3$)

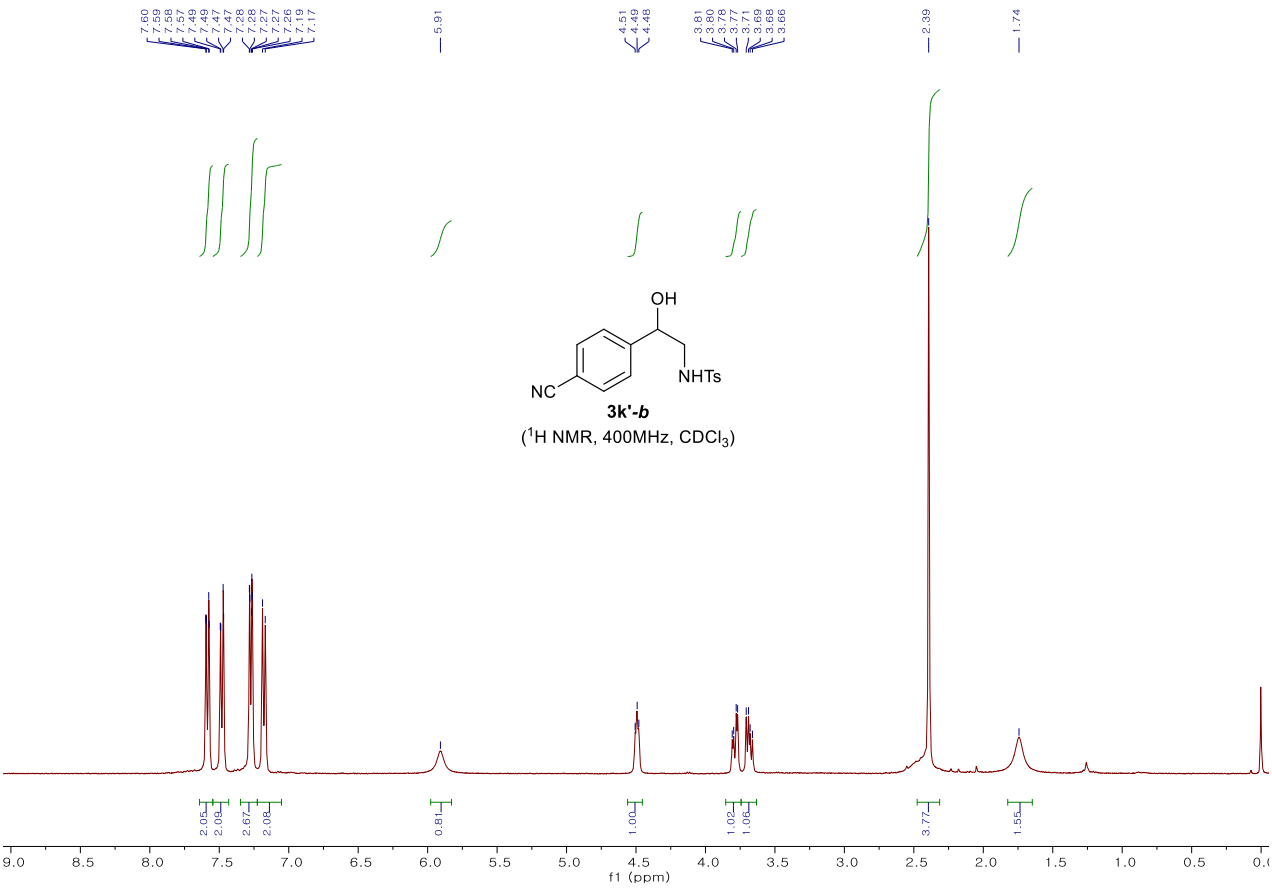


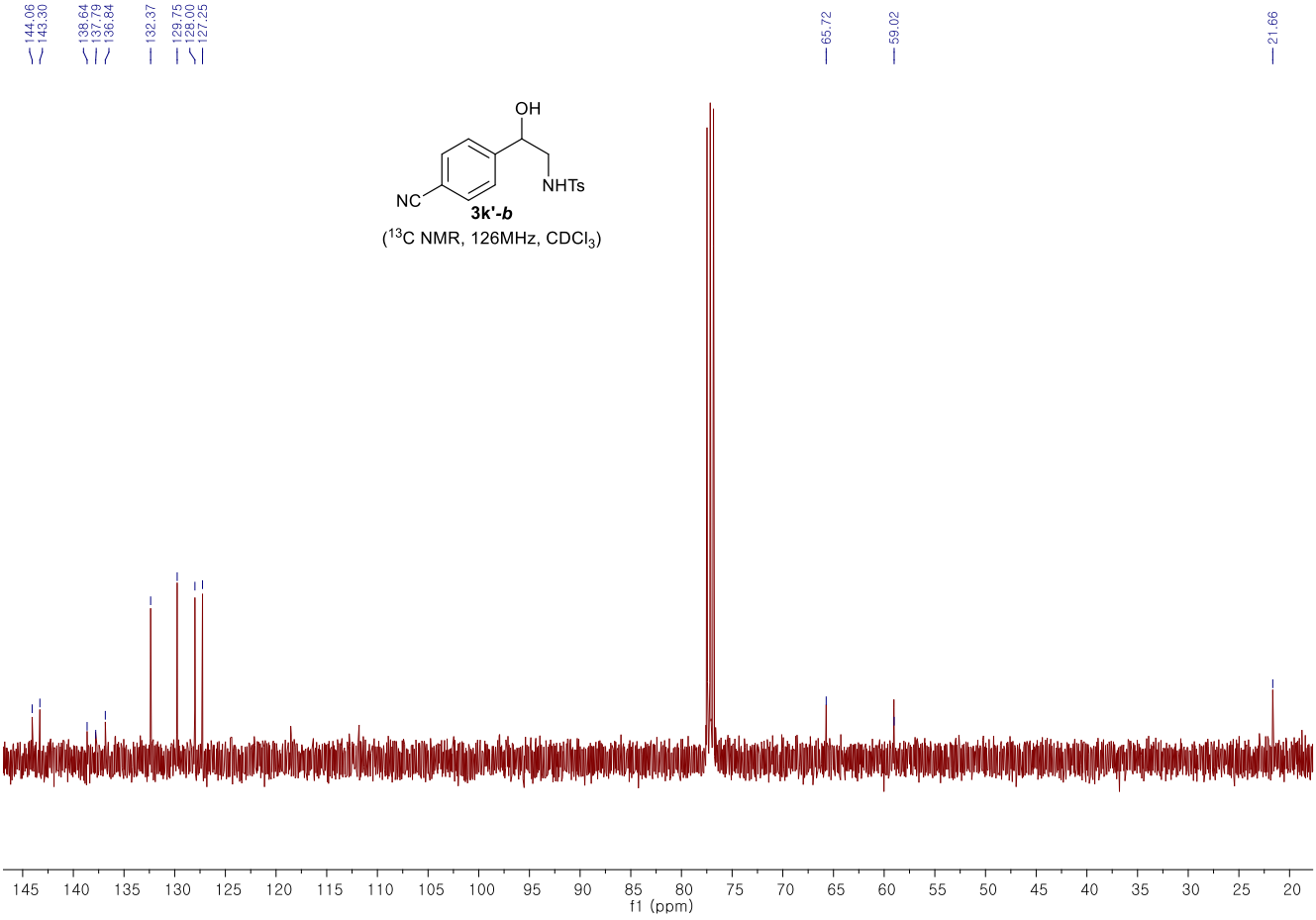
3i'

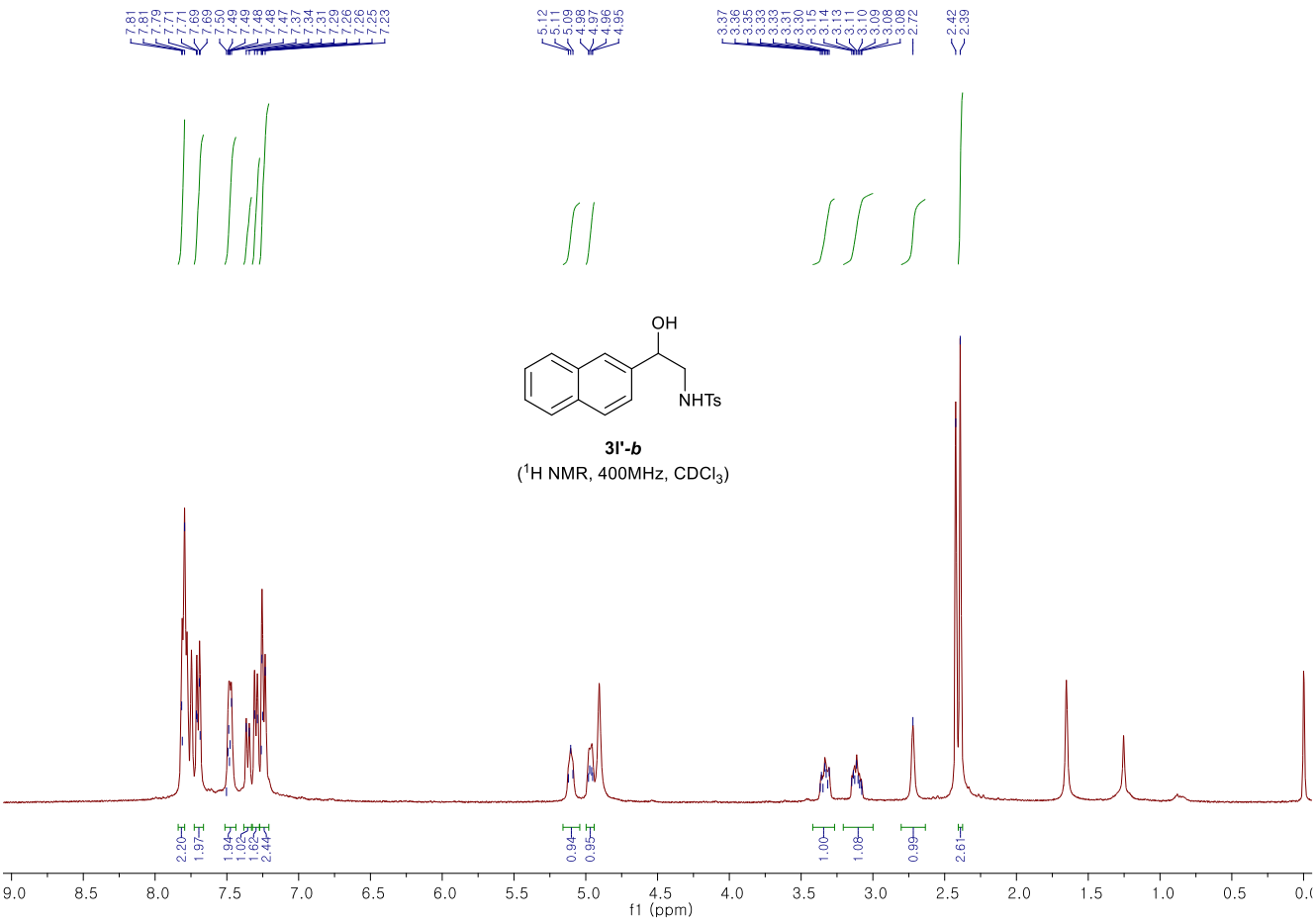
(^{13}C NMR, 126MHz, $CDCl_3$)


OH
NHTs
3j'-b
(1H NMR, 400MHz, CDCl3)
f1 (ppm)

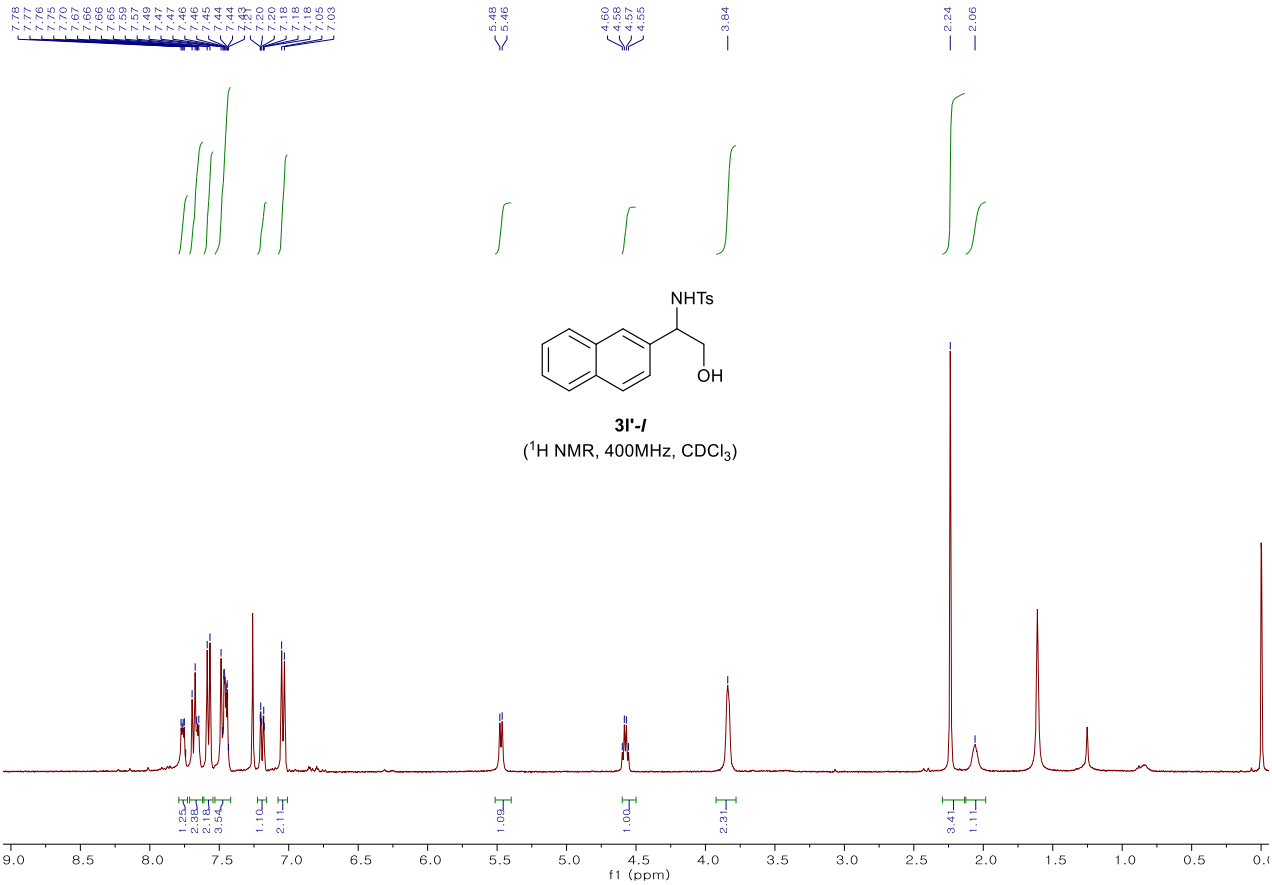

NHTs
OH
3j'-l
(1H NMR, 400MHz, CDCl3)
f1 (ppm)


7.60
7.59
7.58
7.57
7.49
7.47
7.28
7.27
7.26
7.19
7.17
5.91
4.51
4.49
4.48
3.81
3.80
3.78
3.77
3.71
3.69
3.68
3.66
2.39
1.74
OH
NHTs
NC
3k'-b
(1H NMR, 400MHz, CDCl3)
2.05
2.09
2.67
2.08
0.81
1.00
1.02
1.06
3.77
1.55
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5
f1 (ppm)

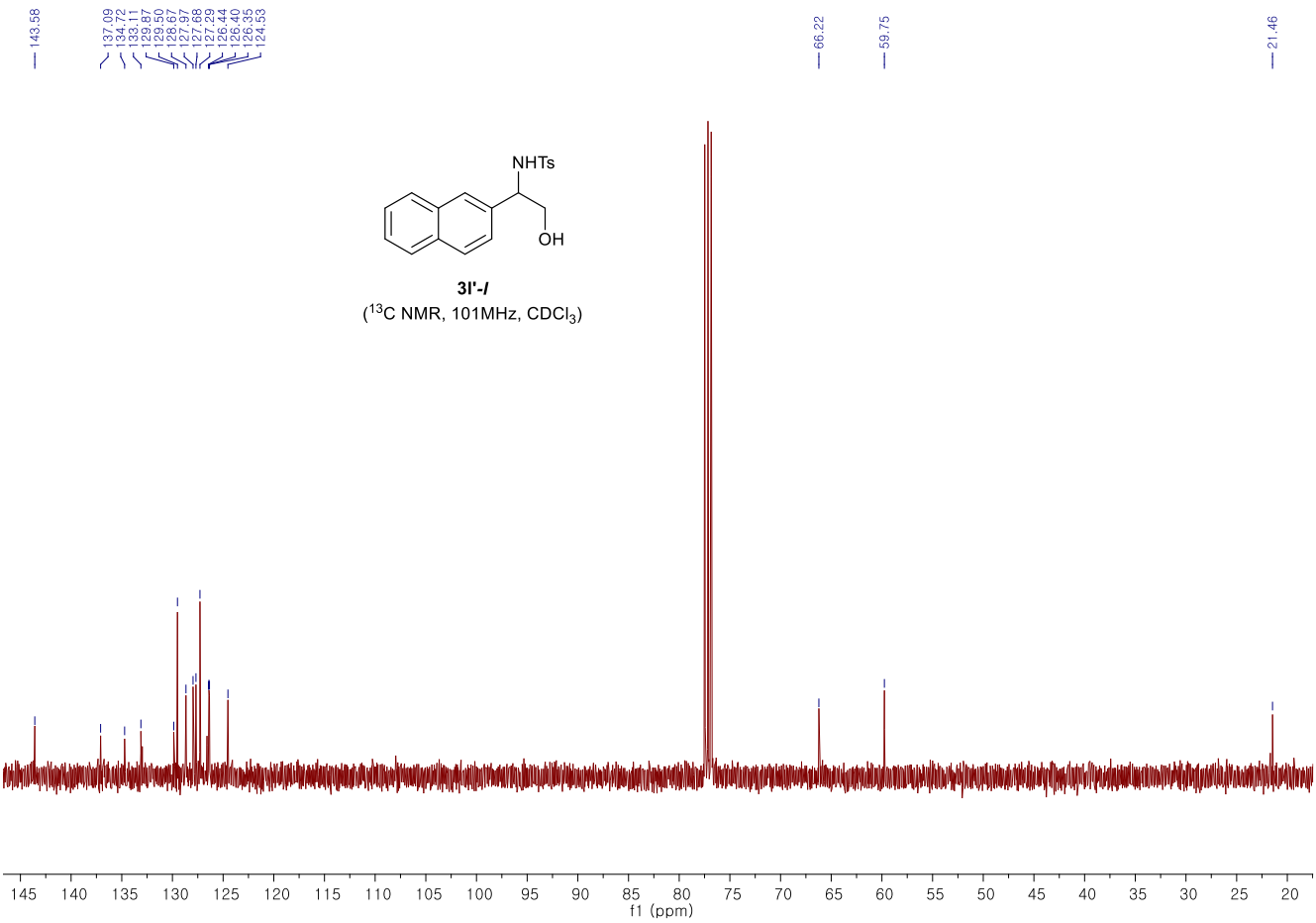

144.06
143.30
138.64
137.79
136.84
132.37
129.75
128.00
127.25
65.72
59.02
21.66
OH
NHTs
NC
3k'-b
(13C NMR, 126MHz, CDCl3)
145 140 135 130 125 120 115 110 105 100 95 90 85 80 75 70 65 60 55 50 45 40 35 30 25 20
f1 (ppm)

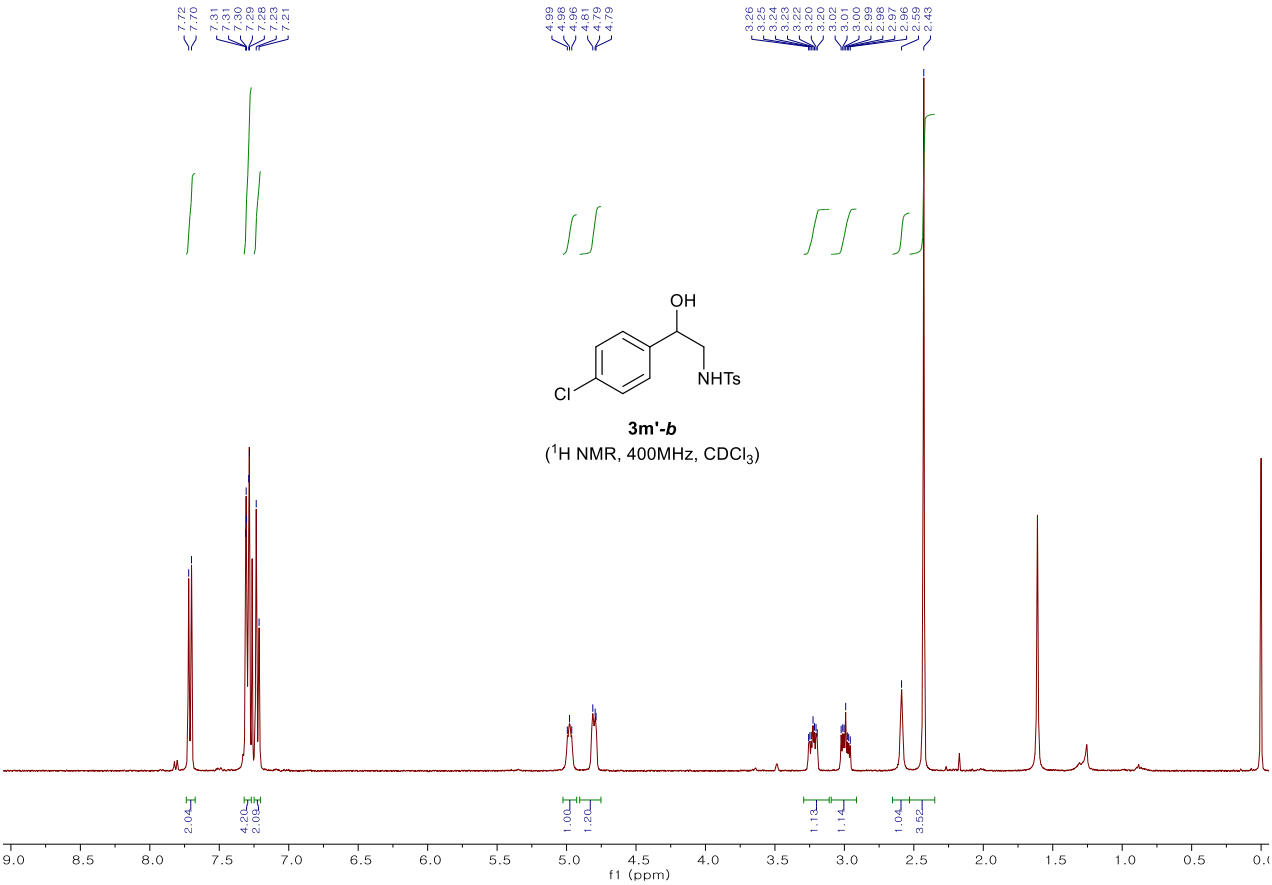


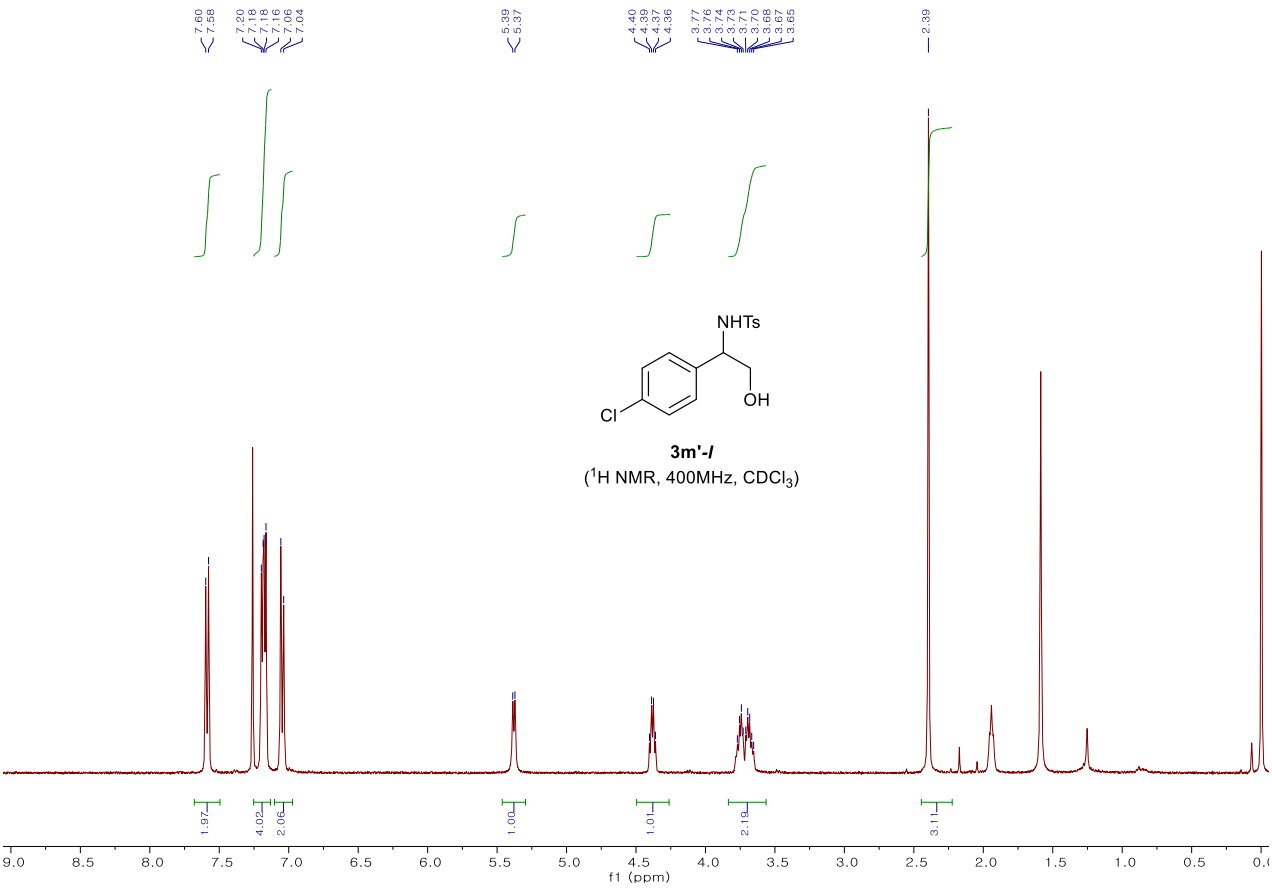
3l'-*b*
(^{1}H NMR, 400MHz, $CDCl_3$)



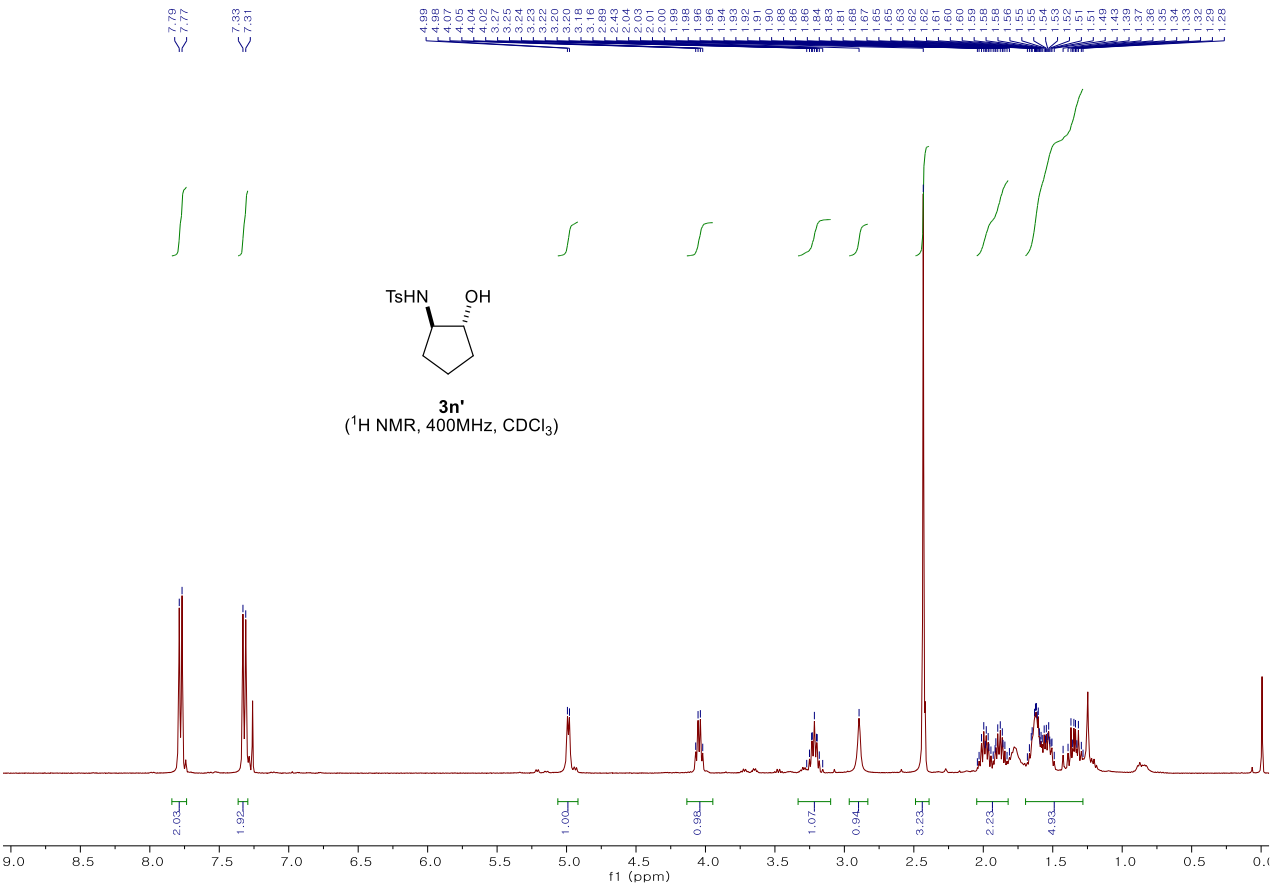
3l'-*l*
(^{1}H NMR, 400MHz, $CDCl_3$)


143.58
137.09
134.72
133.11
129.87
129.50
129.67
127.77
127.68
127.29
126.44
126.40
126.35
124.53
66.22
59.75
21.46
NHTs
OH
3l'-l
(13C NMR, 101MHz, CDCl3)
f1 (ppm)

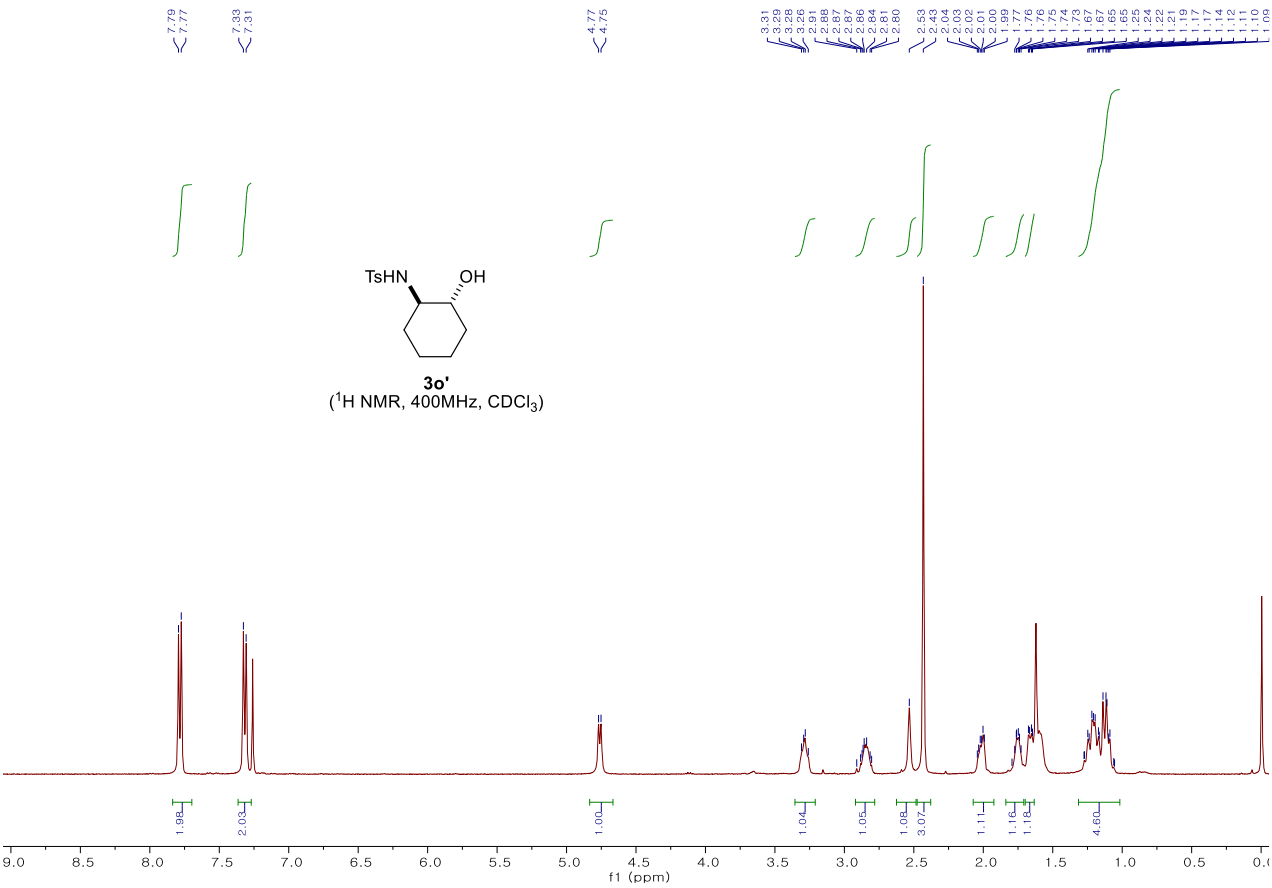

7.72
7.70
7.31
7.31
7.30
7.29
7.28
7.23
7.21
4.99
4.98
4.96
4.81
4.79
4.79
3.26
3.25
3.24
3.23
3.22
3.20
3.20
3.02
3.01
3.00
2.99
2.98
2.97
2.96
2.59
2.43
OH
NHTs
Cl
3m'-b
(1H NMR, 400MHz, CDCl3)
2.04
4.20
2.09
1.00
1.20
1.13
1.14
1.04
3.52
f1 (ppm)



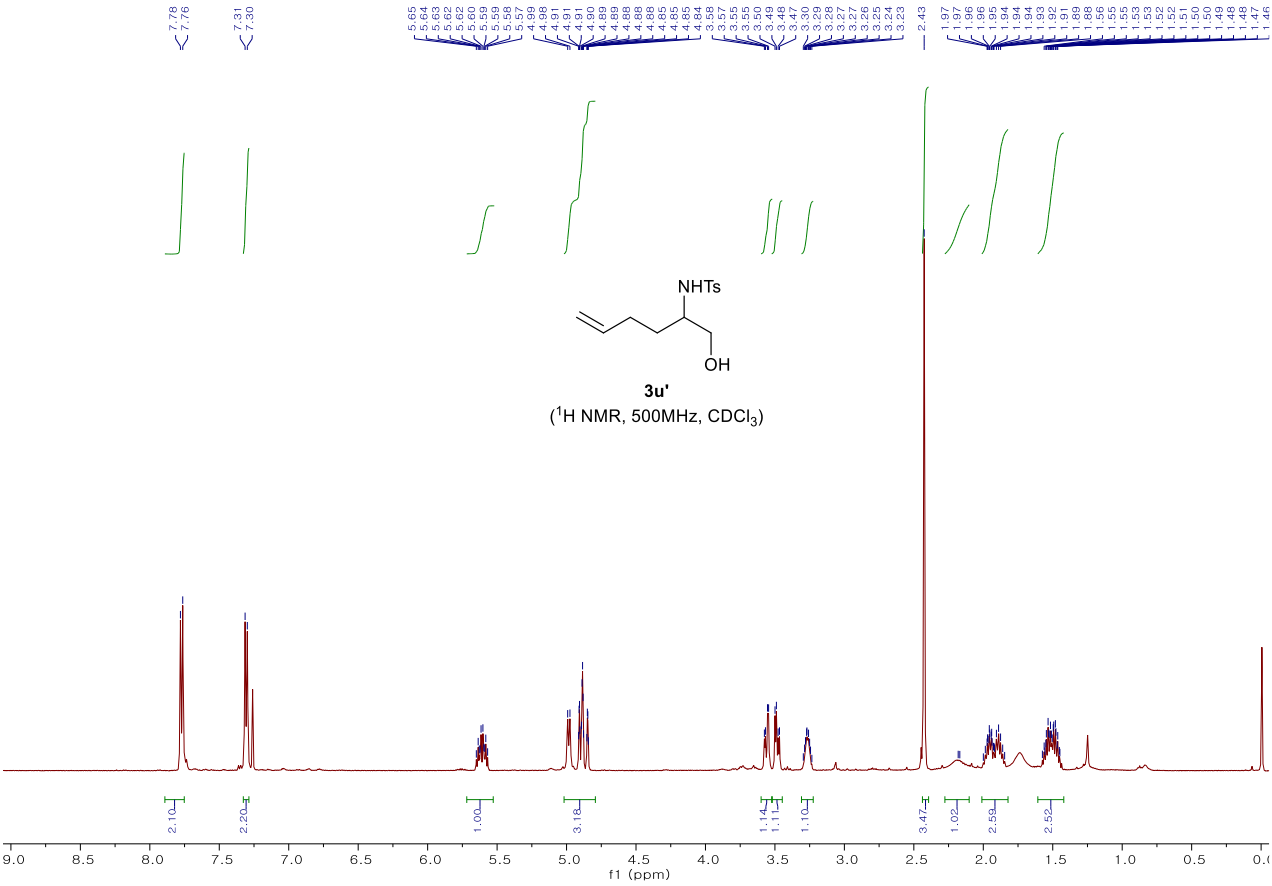
3m'-*l*
(^{1}H NMR, 400MHz, $CDCl_3$)



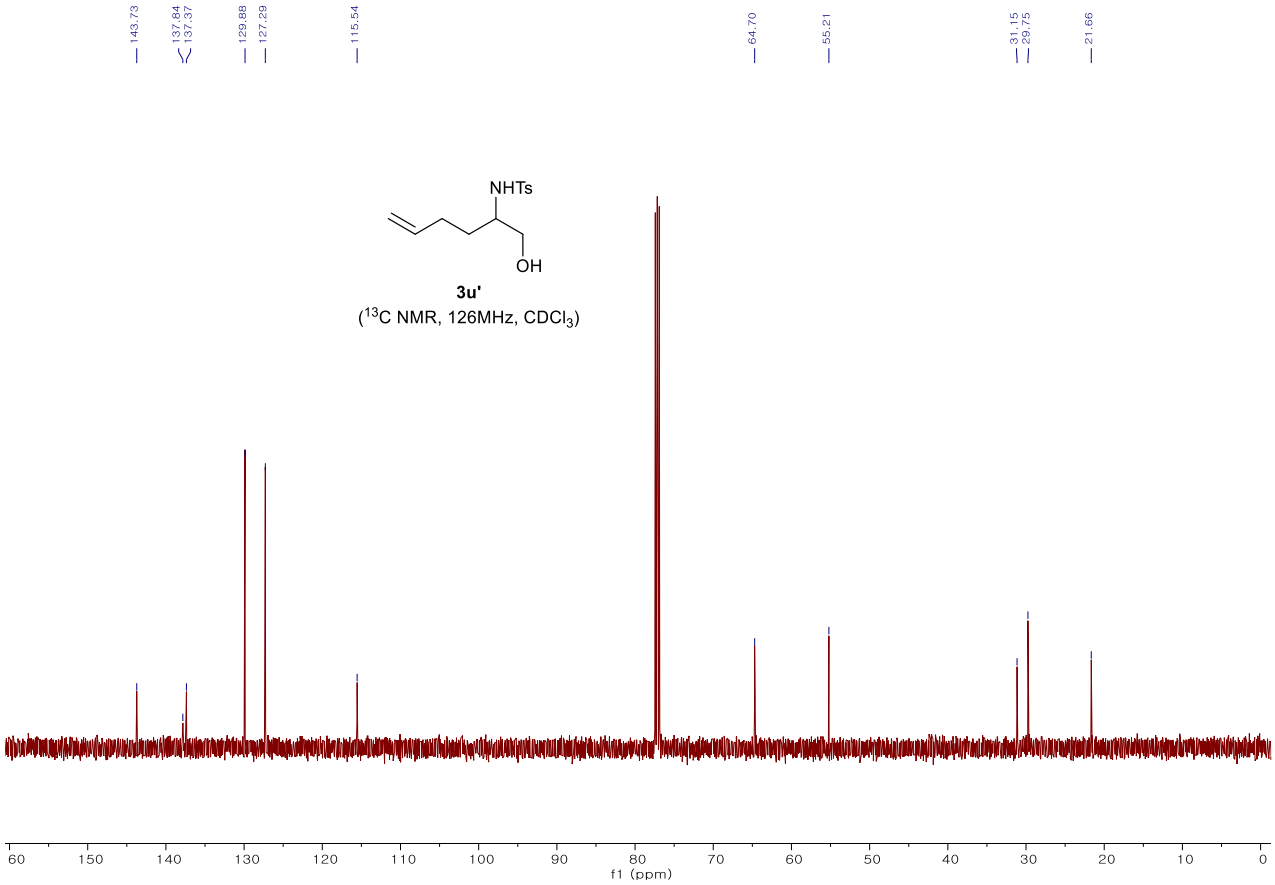
3n'
(^{1}H NMR, 400MHz, $CDCl_3$)

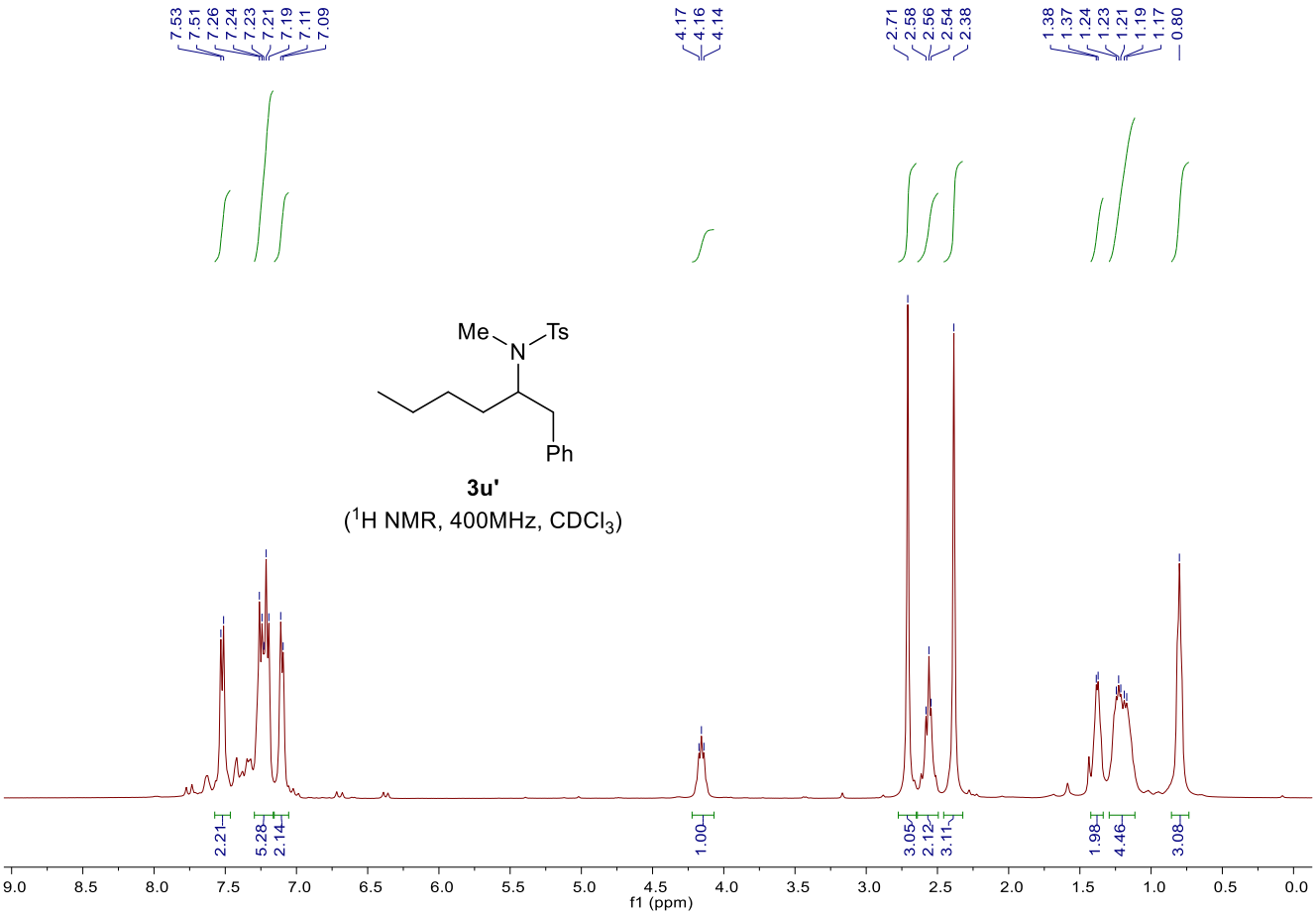


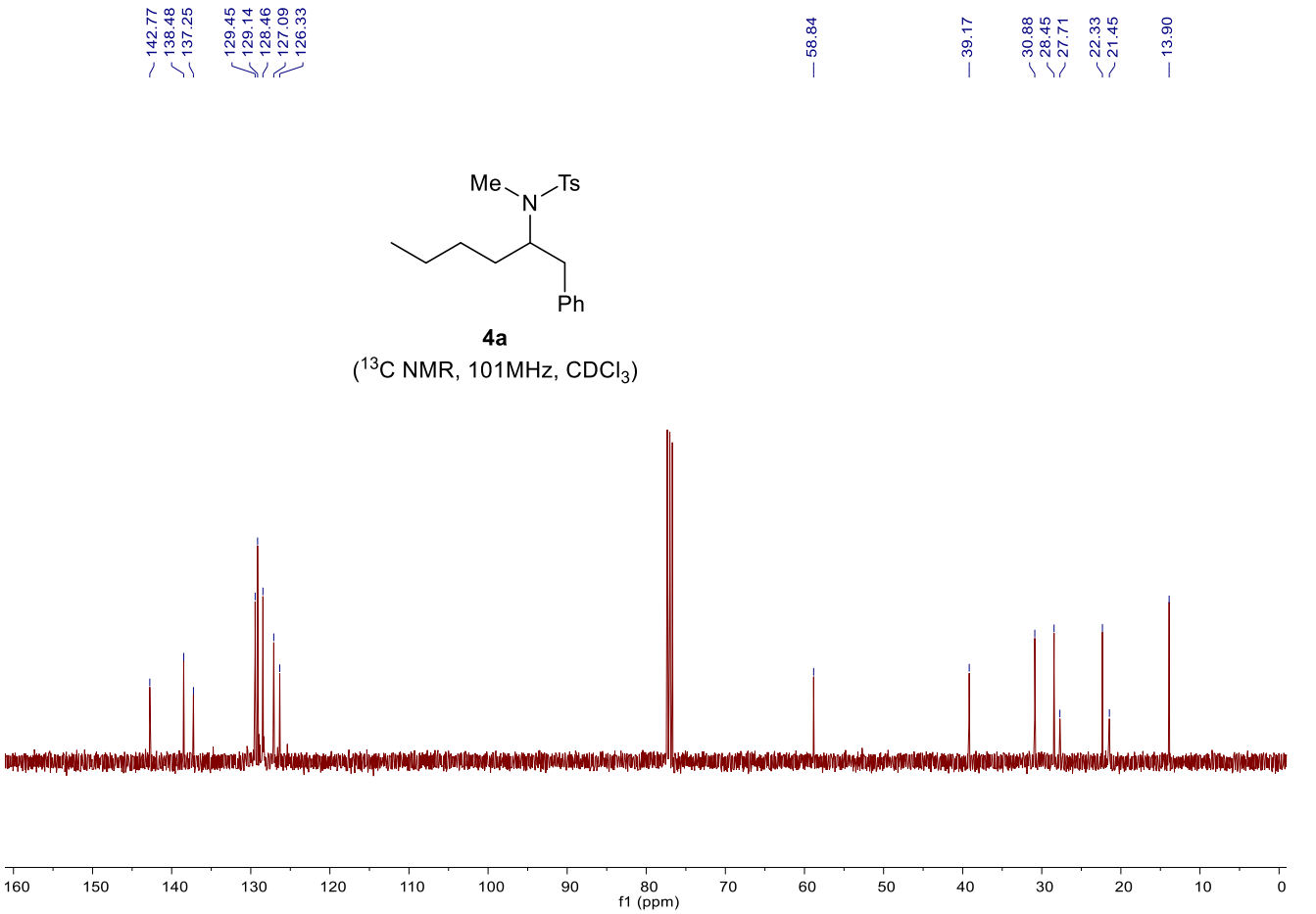
3o'
(^{1}H NMR, 400MHz, $CDCl_3$)



3u'
(^{1}H NMR, 500MHz, $CDCl_3$)

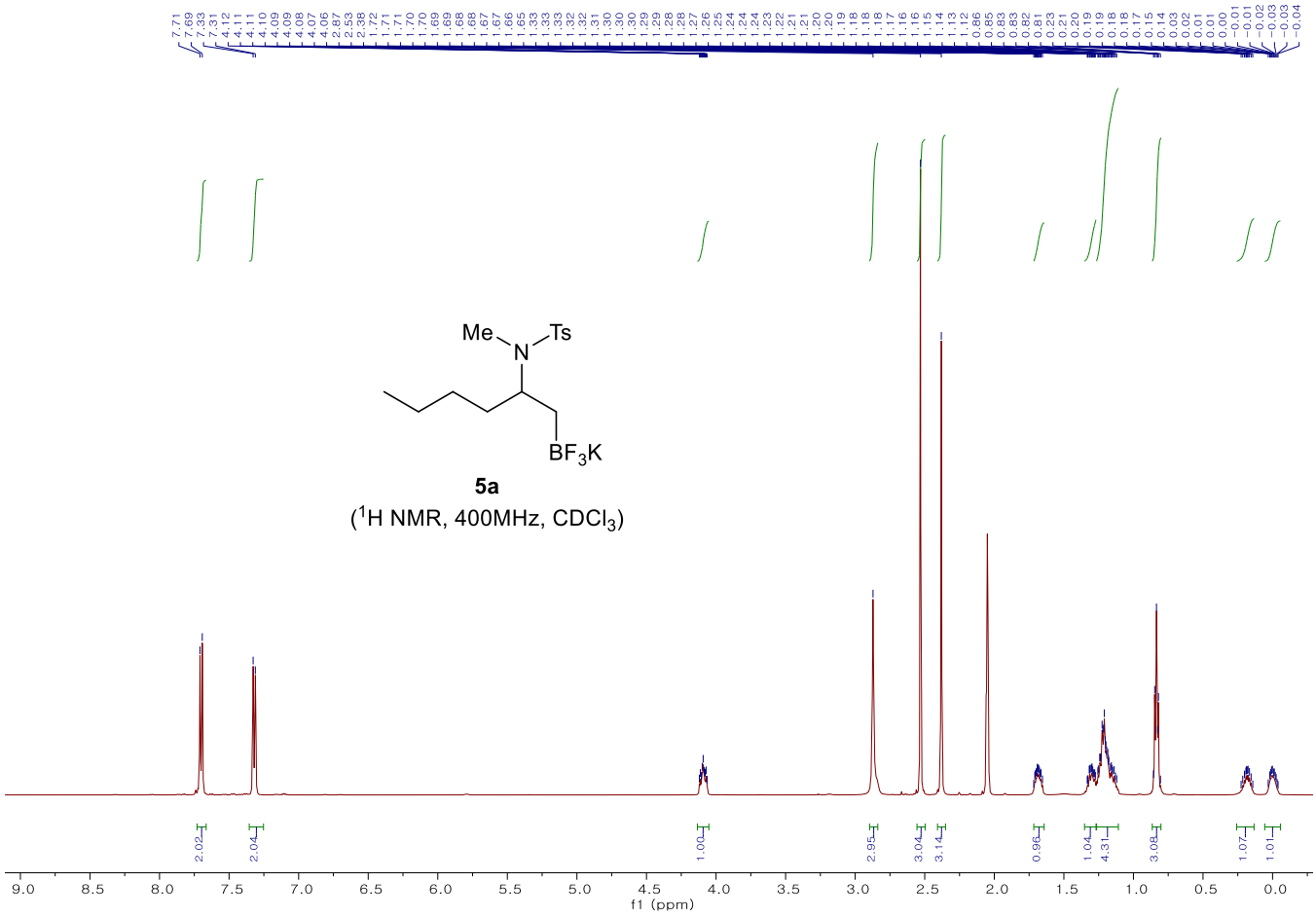

143.73
137.84
137.37
129.88
127.29
115.54
64.70
55.21
31.15
29.75
21.66
NHTs
OH
3u'
(13C NMR, 126MHz, CDCl3)
f1 (ppm)


7.53
7.51
7.26
7.24
7.23
7.21
7.19
7.11
7.09
4.17
4.16
4.14
2.71
2.58
2.56
2.54
2.38
1.38
1.37
1.24
1.23
1.21
1.19
1.17
0.80
Me
N
Ts
Ph
3u'
(1H NMR, 400MHz, CDCl3)
2.21
5.28
2.14
1.00
3.05
2.12
3.11
1.98
4.46
3.08
f1 (ppm)



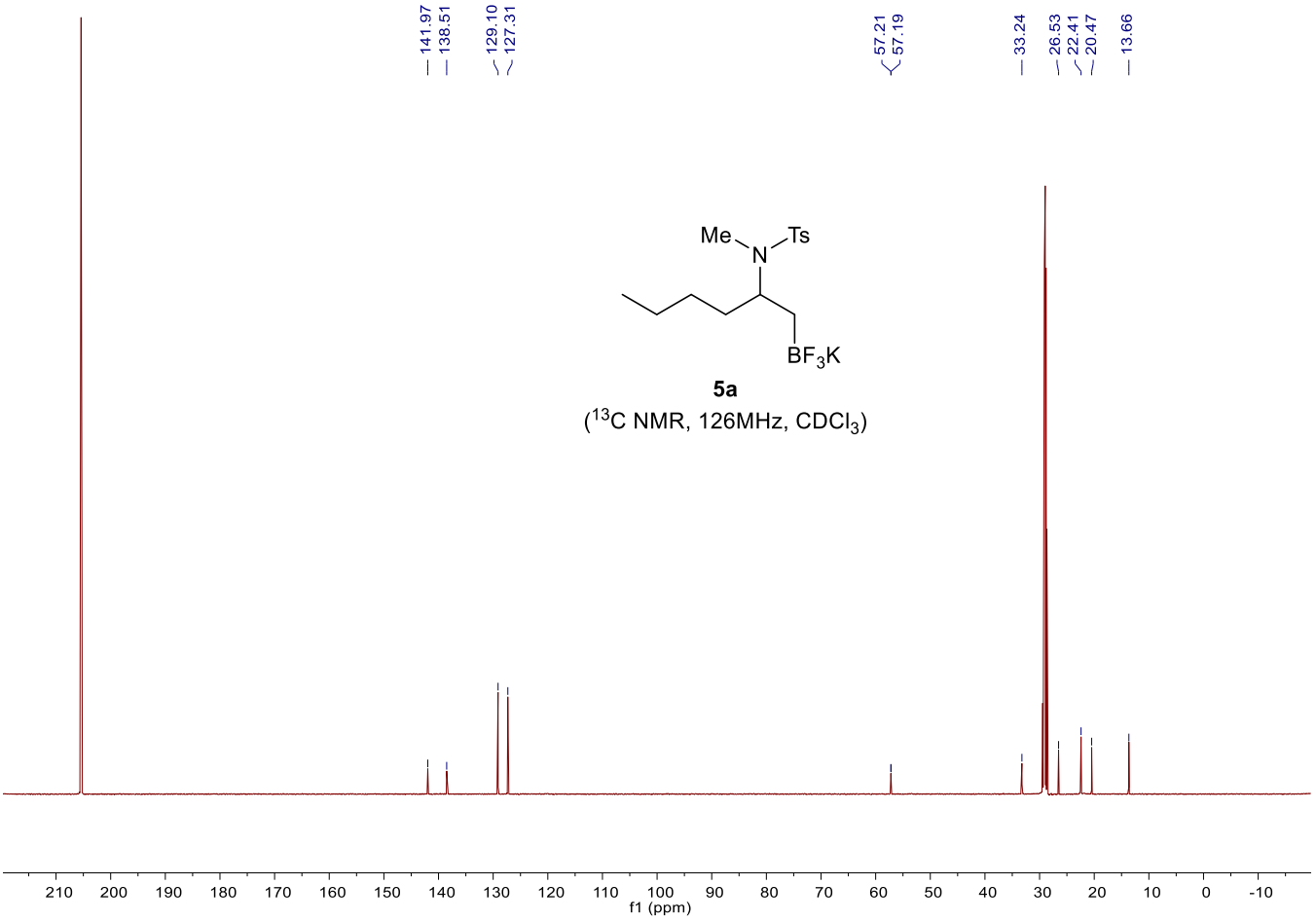
4a

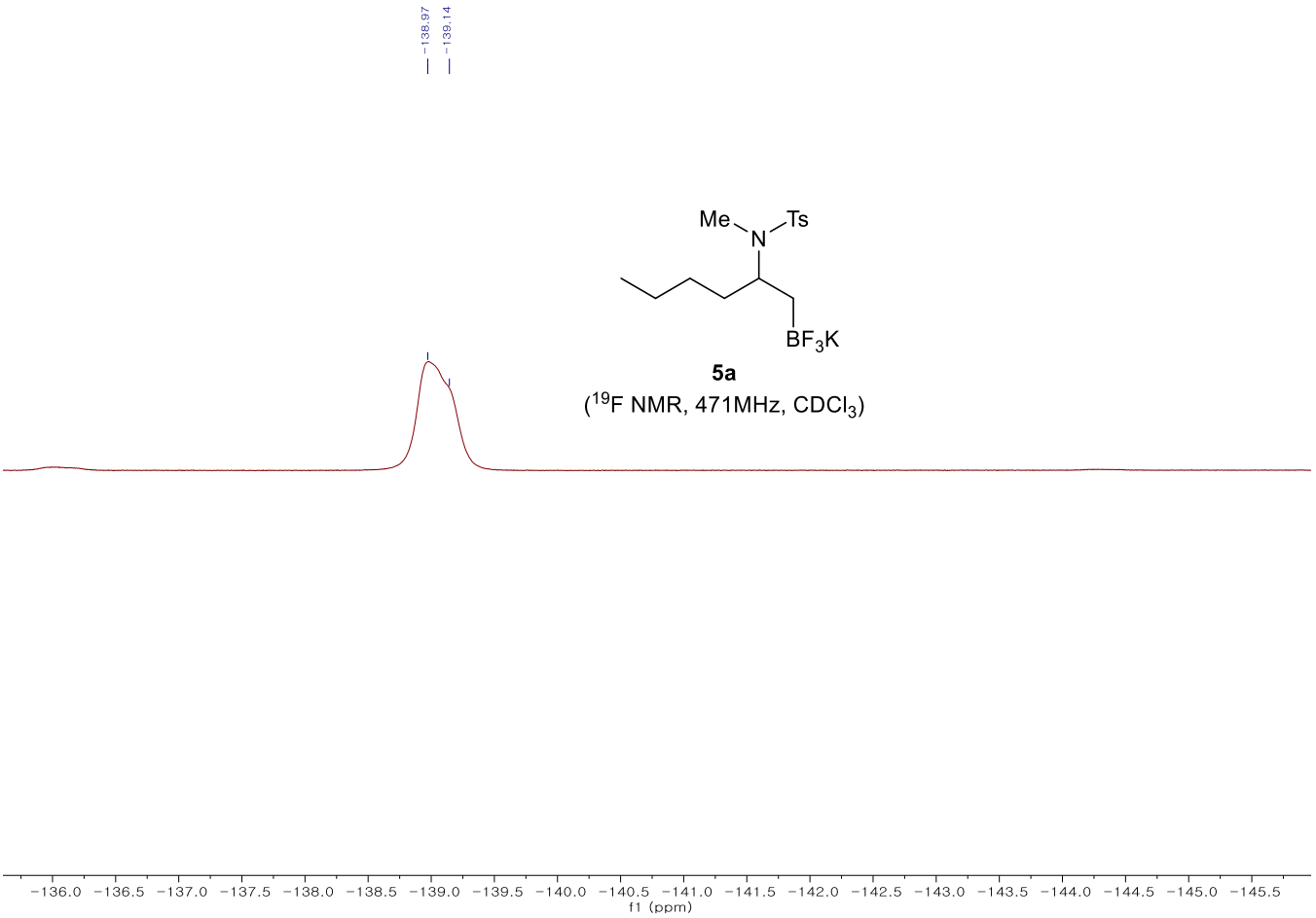
(^{13}C NMR, 101MHz, $CDCl_3$)

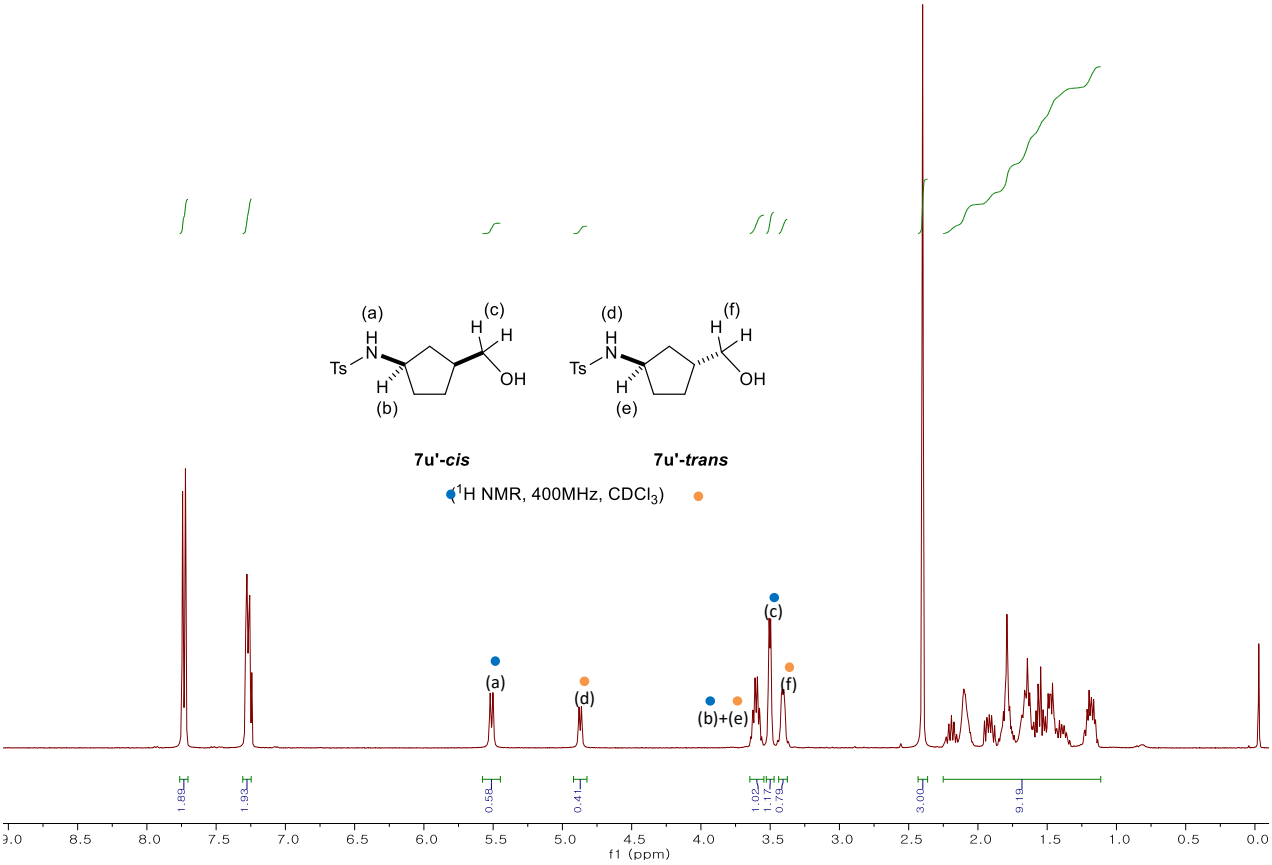


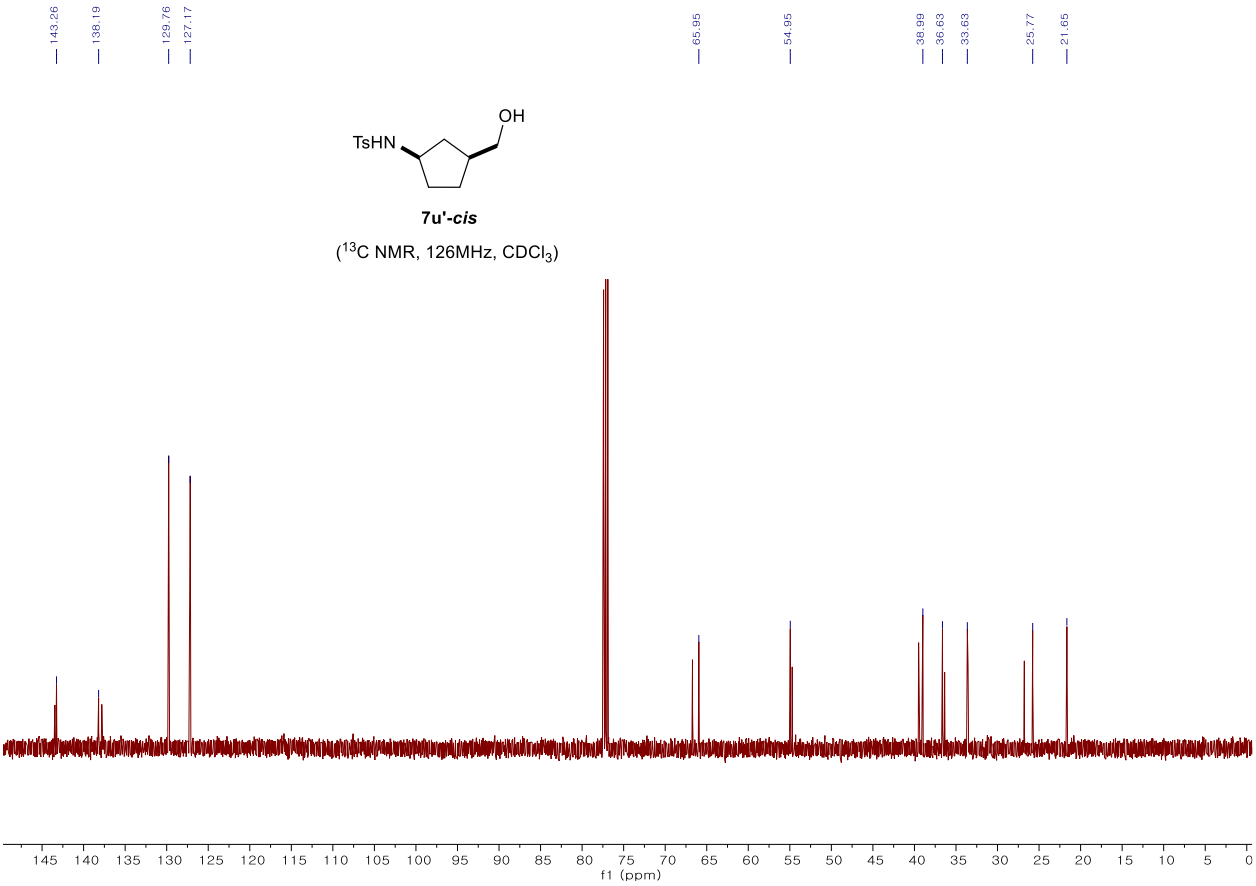
5a

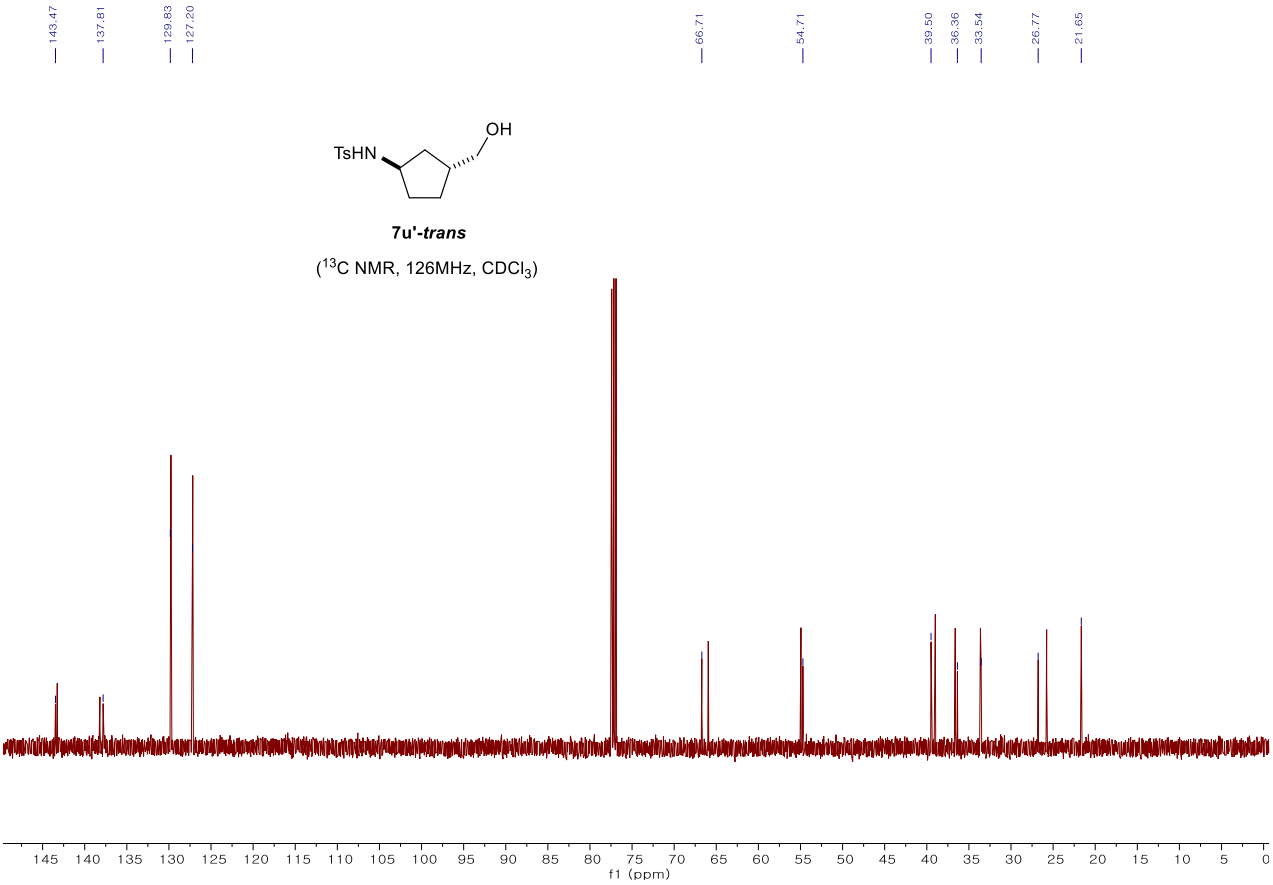
(^{1}H NMR, 400MHz, $CDCl_3$)

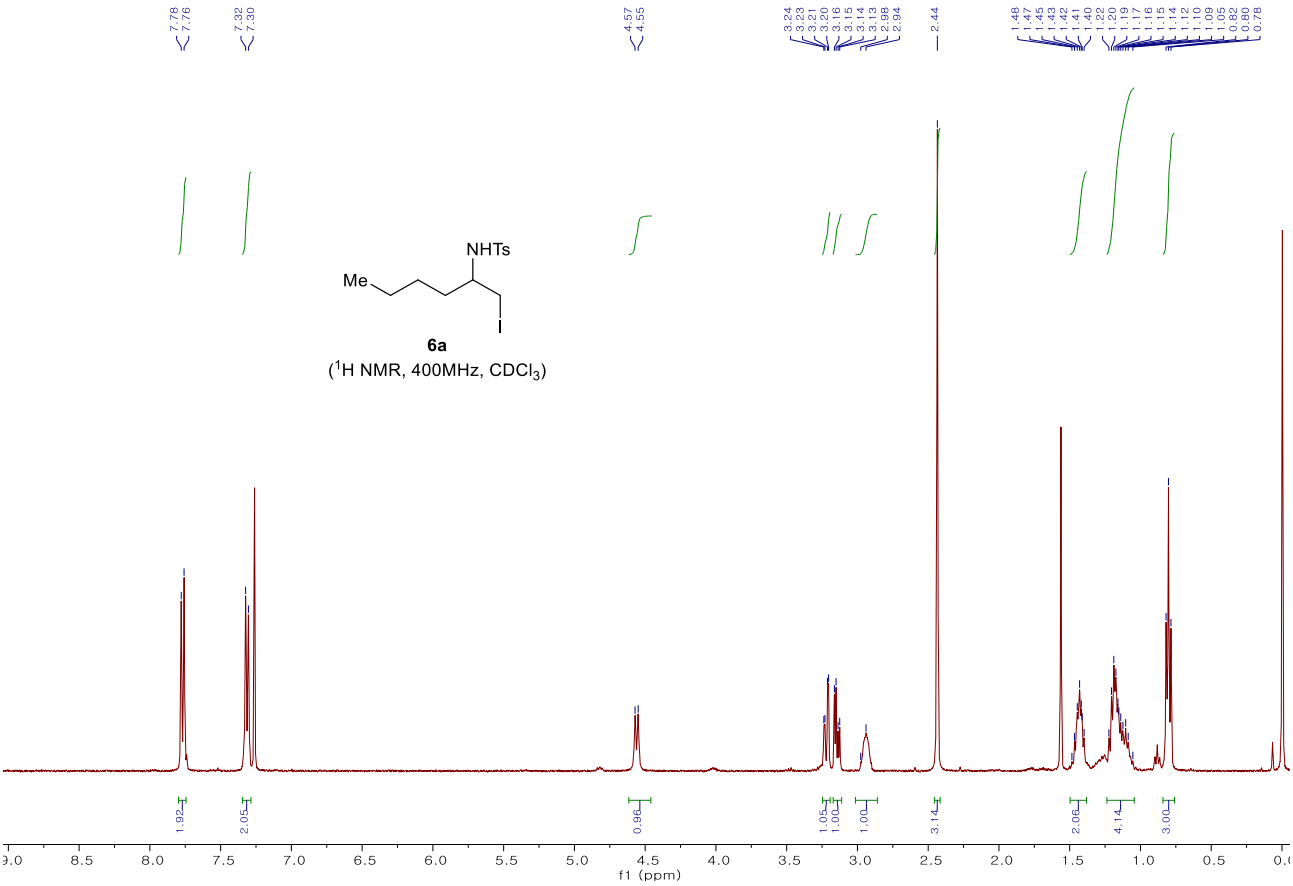

141.97
138.51
129.10
127.31
57.21
57.19
33.24
26.53
22.41
20.47
13.66
Me
N
Ts
BF3K
5a
(13C NMR, 126MHz, CDCl3)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

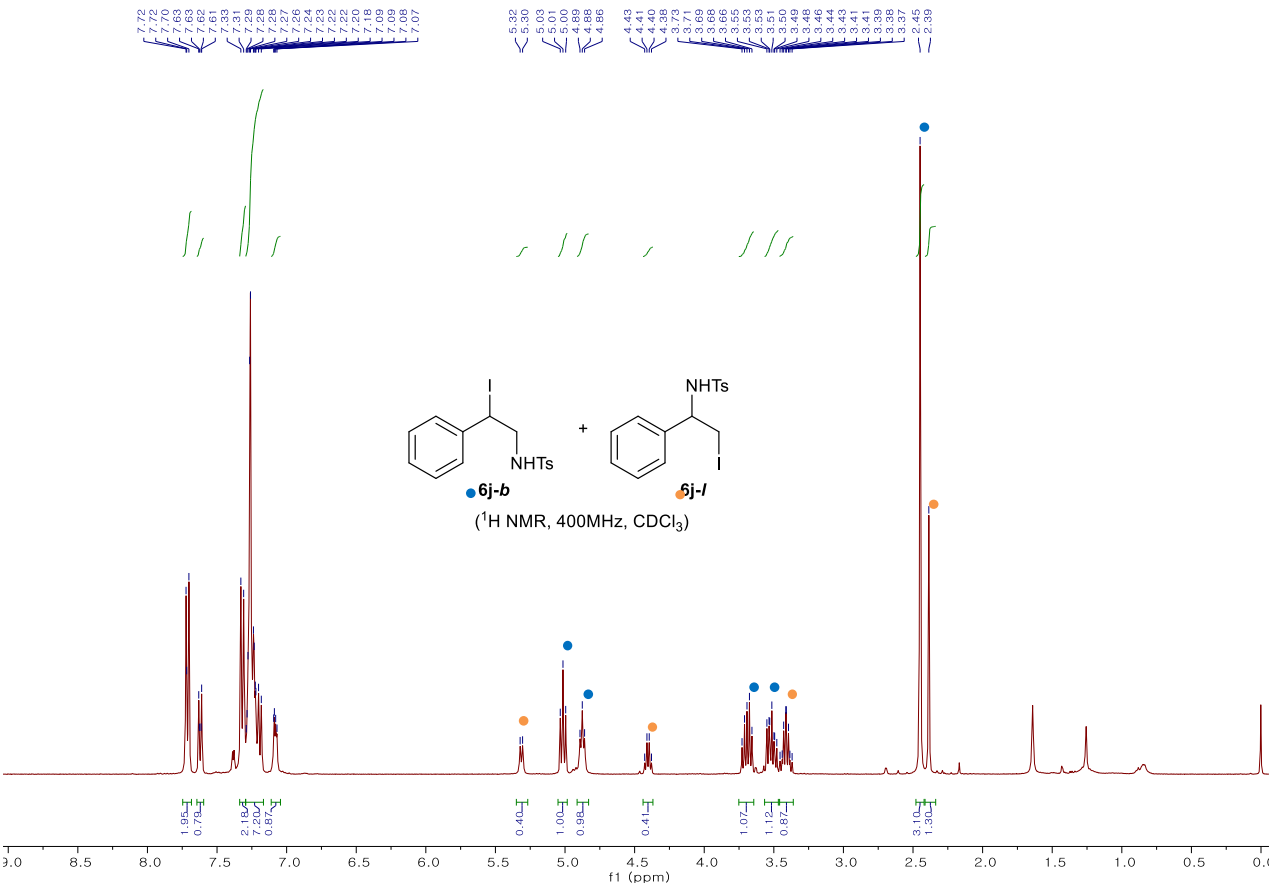

−138.97
−139.14
Me
N
Ts
BF3K
5a
(19F NMR, 471MHz, CDCl3)
−136.0 −136.5 −137.0 −137.5 −138.0 −138.5 −139.0 −139.5 −140.0 −140.5 −141.0 −141.5 −142.0 −142.5 −143.0 −143.5 −144.0 −144.5 −145.0 −145.5
f1 (ppm)


(a)
(b)
(c)
(d)
(e)
(f)
Ts
N
H
OH
7u'-cis
7u'-trans
1H NMR, 400MHz, CDCl3)
(b)+(e)
1.89
1.93
0.58
0.41
1.02
1.17
0.79
3.00
9.19
f1 (ppm)


143.26
138.19
129.76
127.17
65.95
54.95
38.99
36.63
33.63
25.77
21.65
TsHN
OH
7u'-cis
(13C NMR, 126MHz, CDCl3)
f1 (ppm)


143.47
137.81
129.83
127.20
66.71
54.71
39.50
36.36
33.54
26.77
21.65
TsHN
OH
7u'-trans
(13C NMR, 126MHz, CDCl3)
f1 (ppm)


7.78
7.76
7.32
7.30
4.57
4.55
3.24
3.23
3.21
3.20
3.16
3.15
3.14
3.13
2.98
2.94
2.44
1.48
1.47
1.46
1.45
1.42
1.41
1.40
1.22
1.20
1.19
1.17
1.16
1.15
1.14
1.12
1.10
1.09
1.05
0.82
0.80
0.78
NHTs
Me
I
6a
(1H NMR, 400MHz, CDCl3)
1.92
2.05
0.96
1.05
1.00
1.00
3.14
2.06
4.14
3.00
f1 (ppm)


NHTs
6j-b
+
NHTs
6j-l
(1H NMR, 400MHz, CDCl3)
f1 (ppm)