Iron-Catalyzed Asymmetric Haloamination Reactions

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Electronic Supplementary Information (ESI)

Contents:

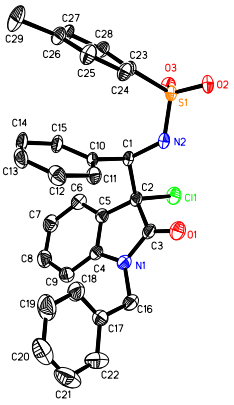
1. General remarks

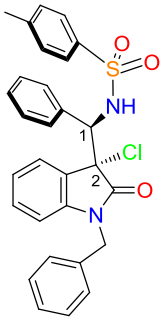
Reactions were carried out using commercial available reagents in over-dried apparatus. CH_2Cl_2 was dried over powdered CaH_2 and distilled under nitrogen just before use. Enantiomeric excesses (*ee*) were determined by HPLC analysis using the corresponding commercial chiral column as stated in the experimental procedures at 23 ^{o}C with UV detector at 254 nm. Optical rotations were reported as follows: $[\alpha]^{25}_{D}$ (c g/100 mL, in solvent). ^{1}H NMR spectra were recorded on commercial instruments (400 MHz). Chemical shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard ($CDCl_3$, δ = 7.26). Spectra were reported as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants (Hz), integration and assignment. ^{13}C NMR spectra were collected on commercial instruments (101 MHz) with complete proton decoupling. Chemical shifts are reported in ppm from the tetramethylsilane with the solvent resonance as internal standard ($CDCl_3$, δ = 77.0). HRMS was recorded on a commercial apparatus (ESI Source).

The $ArSO_2NX_2$ reagents were prepared by the same procedure in literatures (For the preparation of $TsNBr_2$, see: A. Khazaei, A. Rostami, Z. Tanbakouchian, Z. Zinati, *Catal. Commun.* 2006, **7**, 214–217. For the preparation of $TsNCl_2$, see: A. Khazaei, A. Rostami, Z. Tanbakouchian, Z. Zinati, *J. Braz. Chem. Soc.* 2006, **17**, 206–208.).

2. Determination of absolute configuration and X-ray structure of 2o

The absolute configuration of the optically active chloroaminated product **2o** was determined by its X-ray chromatography structure.





(1*R*, 2*R*)-**2o**

Single crystal of $C_{29}H_{25}ClN_2O_3S$ **2o** was recrystalized from the mixed solvent of ethyl acetate and petroleum ether. It was indentified as (*R*,*R*)-configuration. CCDC 931983 contains the supplementary crystallographic data which can be obtained free of charge from The Cambrige Crystallographic Data Centere via www.ccdc.cam.ac.uk/data_request/cif.

Crystal data. $C_{29}H_{25}ClN_2O_3S$, M = 517.02, Orthorhombic, a = 9.543(3) Å, b = 10.021(4) Å, c = 26.160(9) Å, U = 2501.7(15) Å^3, T = 273(2) K, space group $P212121$, Z = 4.

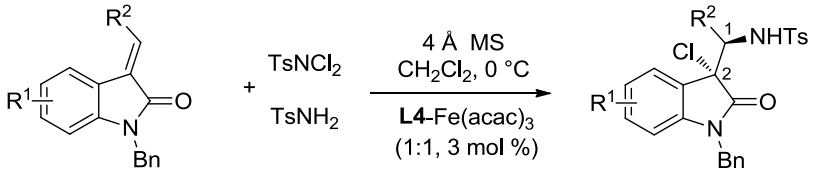
3. Typical procedure for catalytic asymmetric haloamination reaction

Chloroamination reaction: A dry reaction tube was charged with $ArSO_2NCl_2$ (0.11 mmol), $ArSO_2NH_2$ (0.11 mmol), and 4 Å MS (25.0 mg). Under N_2, CH_2Cl_2(0.5 mL) was added. The mixture was stirred at 35 °C for 5 min, following by the addition of 100 μL (3.0 mol% loading) or 7μL (0.2 mol% loading) of the catalyst solution (0.03 M **L4**–Fe(acac)$_3$ in CH_2Cl_2), or 100 μL (5.0 mol% loading) of the catalyst solution (0.05 M **L4**–Fe(acac)$_3$ in CH_2Cl_2). After stirring for 10 min, the mixture was cooled to the indicated temperature. Substrate **1** or **3** (0.1 mmol) was added under stirring and the reaction mixture continued stirring at 0 °C or 25 °C for the indicated time. The residue was purified by flash chromatography on silica gel to afford the desired product **2** or **4**. The enantiomeric excess (*ee*) was determined by high-performance liquid chromatography (HPLC) with Chiralcel AD-H, Chiralcel IA , Chiralcel IC, Chiralcel ID or Chiralcel OD-H. The diastereomeric ratio (d.r.) was determined by ^{1}H NMR analysis.

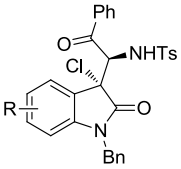
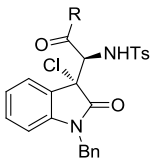
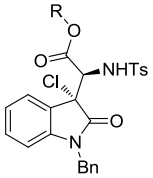
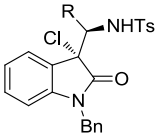
Bromoamination reaction: A dry reaction tube was charged with $ArSO_2NBr_2$ (0.11 mmol), $ArSO_2NH_2$ (0.11 mmol), and 4 Å MS (25.0 mg). Under N_2, CH_2Cl_2(0.5 mL) was added. The mixture was stirred at 35 °C for 5 min, following by the addition of 100 μL (3.0 mol% loading) of the catalyst solution (0.03 M **L4**–Fe(acac)$_3$ in CH_2Cl_2) or 100 μL (5.0 mol% loading) of the catalyst solution (0.05 M **L4**–Fe(acac)$_3$ in CH_2Cl_2). After stirring for 10 min, the mixture was cooled to 25 °C. Substrate **1** or **3** (0.1 mmol) was added under stirring and the reaction mixture continued stirring at 25 °C for the indicated time. The residue was purified by flash chromatography on silica gel to afford the desired product **5** or **6**. The enantiomeric excess (*ee*) was determined by high-performance liquid chromatography (HPLC) with Chiralcel AD-H, Chiralcel IA , Chiralcel IC, Chiralcel ID or Chiralcel OD-H. The diastereomeric ratio (d.r.) was determined by ^{1}H NMR analysis. The corresponding aziridine derivatives of the products, which were easier to analyse by chiral HPLC, were prepared by adding Et_3N (3 equiv.) directly to the bromoaminated products **5** or **6** (0.1 mmol) in CH_2Cl_2 (0.5 mL) at 0 °C. The reaction mixture was stirred at 0 °C for less than 2 h, and nearly quantitative yield of the desired aziridine derivatives could be obtained.

4. Substrate scope

Table 1. Substrate scope in the asymmetric chloroamination.

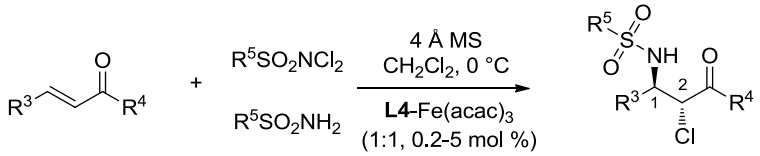


1 **2**

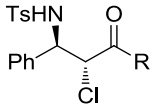
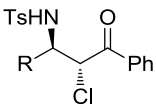
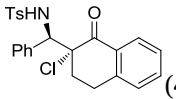
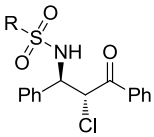
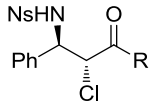
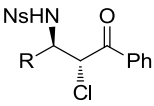
entry[a]	product	R	yield [%][b]	ee [%][c]	dr[d]
1		H (**2a**)	99	99	>19:1
2		5-F (**2b**)	98	97	>19:1
3		5-Cl (**2c**)	98	96	>19:1
4		5-Br (**2d**)	96	96	>19:1
5		5-Me (**2e**)	99	99	>19:1
6		5-MeO (**2f**)	97	98	>19:1
7		6-Br (**2g**)	97	95	>19:1
8		7-Br (**2h**)	91	95	>19:1
9		7-CF_3 (**2i**)	93	94	>19:1
10		2-FC_6H_4 (**2j**)	99	99	>19:1
11		3-MeC_6H_4 (**2k**)	99	99	>19:1
12		3-$MeOC_6H_4$(**2aa**)	98	99	>19:1
13		4-$MeOC_6H_4$ (**2l**)	99	99	>19:1
14		4-ClC_6H_4 (**2ab**)	98	98	>19:1
15		2-naphthyl (**2m**)	96	96	>19:1
16		Me (**2n**)	99	98	>19:1
17		Et (**2ac**)	99	99	>19:1
18		^{i}Pr (**2ad**)	99	99	>19:1
19		^{t}Bu (**2ae**)	99	99	>19:1
20		Bn (**2af**)	99	99	>19:1
21		Ph (**2ag**)	99	97	>19:1
22		Ph (**2o**)	81	98 (1*R*, 2*R*)[e]	>19:1
23		4-MeC_6H_4 (**2p**)	65	97	>19:1
24		4-$CF_3C_6H_4$ (**2q**)	90	98	>19:1
25		4-ClC_6H_4 (**2r**)	85	99	>19:1
26		3-thienyl (**2s**)	67	97	>19:1
27		^{t}Bu (**2t**)	86	96	>19:1

[a] Unless otherwise noted, all reactions were carried out with **L4**/Fe(acac)$_3$ (1/1, 3 mol%), **1** (0.1 mmol), $TsNCl_2$ (0.11 mmol), $TsNH_2$ (0.11mmol), 4 Å MS (25.0 mg) in CH_2Cl_2 (0.5 mL) at 0 °C. [b] Isolated yield. [c] Determined by HPLC analysis. [d] Determined by ^{1}H NMR analysis. [e] Absolute configuration determined by X-ray crystallography of **2o**.

Table 2. Substrate scope in the asymmetric chloroamination of chalcones.

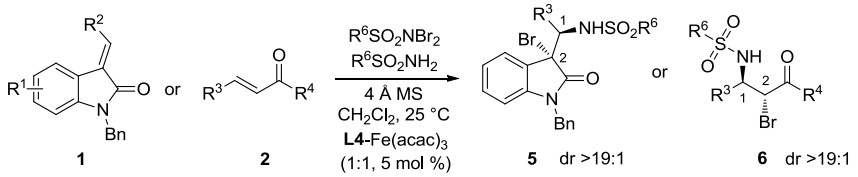


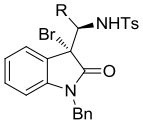
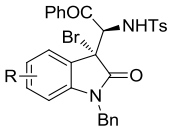
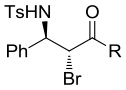
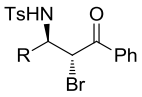
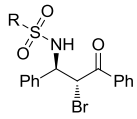
3 **4** dr >19:1

Entry[a]	product	R	yield [%][b]	ee [%][c]
1		Ph(**4a**)	98	99
2		4-MeOC$_6$H$_4$(**4b**)	92	99
3		4-MeC$_6$H$_4$(**4c**)	95	99
4		4-FC$_6$H$_4$(**4aa**)	97	99
5		4-ClC$_6$H$_4$(**4ab**)	96	96
6		3-ClC$_6$H$_4$(**4d**)	95	99
7		3,4-Cl$_2$C$_6$H$_3$(**4ac**)	94	97
8		2-naphthyl(**4e**)	97	99
9[d]		2-fural(**4f**)	99	99
10[d]		^{t}Bu(**4ao**)	55	93
11		4-MeC$_6$H$_4$(**4g**)	94	97
12		3-MeC$_6$H$_4$(**4h**)	96	99
13		3-NO$_2$C$_6$H$_4$(**4i**)	91	95 (1*R*, 2*R*)[e]
14		4-FC$_6$H$_4$(**4ad**)	98	99
15		2-ClC$_6$H$_4$(**4ae**)	90	97
16		3-ClC$_6$H$_4$(**4af**)	99	99
17		4-ClC$_6$H$_4$(**4ag**)	98	99
18		4-CF$_3$C$_6$H$_4$(**4j**)	93	99
19		3-CF$_3$C$_6$H$_4$(**4ah**)	94	99
20		3,4-Cl$_2$C$_6$H$_3$(**4ai**)	93	99
21		3-Thienyl(**4k**)	99	99
22[d]		^{t}Bu(**4l**)	92	99
23[d]	 (**4m**)		75	99
24[d]		4-MeOC$_6$H$_4$(**4n**)	96	97
25[d]		2-MeC$_6$H$_4$(**4o**)	97	99
26[d]		Ph(**4p**)	93	99
27[d]		4-ClC$_6$H$_4$(**4q**)	90	96
28[d]		2-NO$_2$C$_6$H$_4$(**4r**)	90	93
29[d]		4-NO$_2$C$_6$H$_4$(**4s**)	95	96
30[d]		4-MeOC$_6$H$_4$(**4t**)	94	95
33[d]		4-MeC$_6$H$_4$(**4u**)	91	96
34[d]		4-FC$_6$H$_4$(**4v**)	91	96
35[d]		2-ClC$_6$H$_4$(**4w**)	97	92
36[d]		3-ClC$_6$H$_4$(**4x**)	96	97
37[d]		4-ClC$_6$H$_4$(**4y**)	95	97
38[d]		4-FC$_6$H$_4$(**4aj**)	93	96
39[d]		4-CF$_3$C$_6$H$_4$(**4ak**)	93	98
40[d]		3-CF$_3$C$_6$H$_4$(**4al**)	97	97
41[d]		3-NO$_2$C$_6$H$_4$(**4am**)	90	97
42[d]		3,4-Cl$_2$C$_6$H$_3$(**4an**)	92	98

[a] Unless otherwise noted, all reactions were carried out with **L4**/Fe(acac)$_3$ (1/1, 0.2 mol%), **1** (0.1 mmol), R^{5}SO$_2$NCl$_2$ (0.11 mmol), R^{5}SO$_2$NH$_2$ (0.11mmol), and 4 Å MS (25.0 mg) in CH$_2$Cl$_2$ (0.5 mL) at 0 °C. Ns = 4-nitrobenzenesulfonyl. [b] Isolated yield. [c] Determined by HPLC analysis. [d] Used 5 mol% of the catalyst. [e] Absolute configuration determined by comparing optical rotation with that in previous work.

Table 3. Substrate scope in the asymmetric bromoamination.



entry[a]	product	R	yield [%][b]	ee[%][c]
1		C_6H_5CO(**5a**)	94	99
2		2-FC_6H_4CO(**5b**)	94	95
3		3-MeC_6H_4CO(**5aa**)	96	97
4		3-$MeOC_6H_4CO$(**5c**)	95	94
5		4-ClC_6H_4CO(**5d**)	95	99
6		2-naphthylCO(**5e**)	96	96
7		CO_2Me(**5f**)	99	99
8		5-F(**5g**)	91	99
9		5-Cl(**5h**)	92	99
10		5-Br(**5i**)	90	99
11		C_6H_5(**6a**)	96	99
12		4-$MeOC_6H_4$(**6aa**)	97	98
13		4-MeC_6H_4(**6ab**)	97	98
14		4-FC_6H_4(**6ac**)	96	98
15		4-ClC_6H_4(**6ad**)	94	98
16		3-ClC_6H_4(**6ae**)	96	97
17		3,4-$Cl_2C_6H_3$(**6af**)	94	98
18		2-naphthyl(**6ag**)	90	99
19		3-MeC_6H_4(**6b**)	95	99
20		2-ClC_6H_4(**6c**)	92	95
21		3-ClC_6H_4(**6d**)	97	99
22		4-ClC_6H_4(**6e**)	94	98
23		4-FC_6H_4(**6ah**)	96	99
24		3-$NO_2C_6H_3$(**6f**)	90	99 (1*R*, 2*R*)[d]
25		3-$CF_3C_6H_4$(**6ai**)	95	96
26		4-$CF_3C_6H_4$(**6aj**)	95	98
27		3,4-$Cl_2C_6H_3$(**6ak**)	95	99
28		Ph(**6g**)	92	97
29		4-ClC_6H_4(**6h**)	91	98
30[e]		4-$NO_2C_6H_4$(**6i**)	94	96

[a] Unless otherwise noted, all reactions were carried out with **L4**/Fe(acac)$_3$ (1/1, 5 mol%), **1** (0.1 mmol), $R^5SO_2NBr_2$ (0.11 mmol), $R^5SO_2NH_2$ (0.11mmol), and 4 Å MS (25.0 mg) in CH_2Cl_2 (0.5 mL) at 25 °C. Ns = 4-nitrobenzenesulfonyl. [b] Isolated yield. [c] Determined by HPLC analysis of the products or the corresponding aziridine derivatives. [d] Absolute configuration determined by comparing optical rotation with that in liternature. [e] CH_2Cl_2 (2.0 mL) was used.

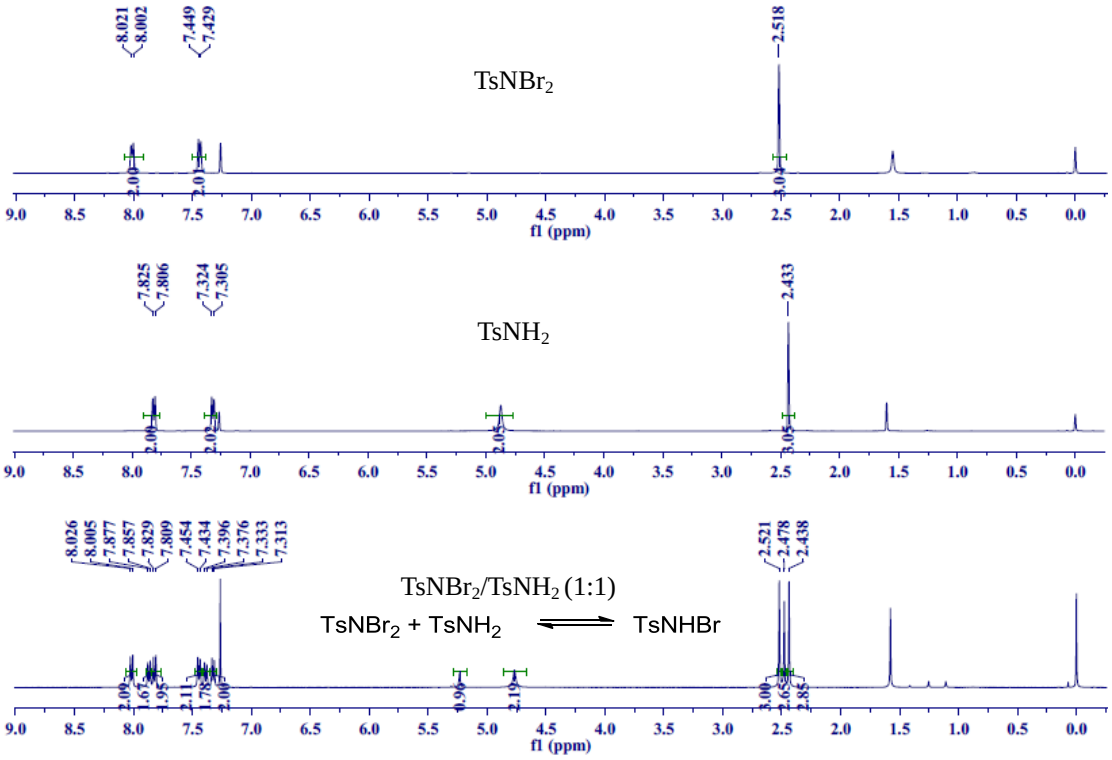
Iodoamination reaction

In this work, using highly reactive combinational agents $ArSO_2NX_2$/$ArSO_2NH_2$ (X = Cl, Br) are essential to the present iron catalysis protocol. The $ArSO_2NX_2$ reagents (except for commercially available $TsNCl_2$) were prepared by a similar procedure in literatures. However, we failed to synthesize the corresponding $ArSO_2NI_2$ reagents and to the best of knowledge, these reagents haven't been reported to date possibly due to their poor stability. We also test other iodo-agents, such as NIS and DIH, low reactivity (for both substrate **1a** and **3a**) was observed although excellent ees were obtained (for 3a: up to 98% ee).

5. Mechanistic investigations

A) ^{1}H NMR analysis

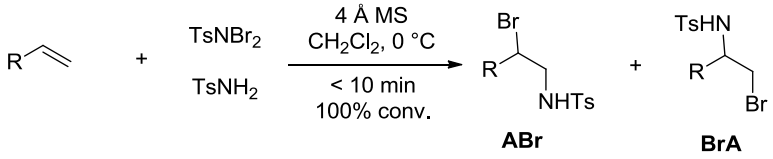
After stirring of $TsNH_2$, $TsNBr_2$ in $CDCl_3$ at 35 °C for 5 min, the peaks corresponded to TsNHBr (about 30%) was clearly observed (Note: When using $CHCl_3$ as the solvent, similar results were obtained compared with that using CH_2Cl_2 as the solvent).



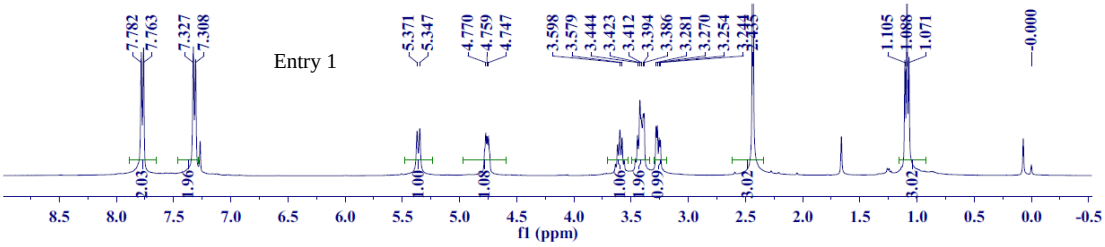
Similar ^{1}H NMR analysis indicated that 15−20% of CBSNHBr, NsNHBr or BsNHBr was detected from the corresponding mixture of $ArSO_2NBr_2$/$ArSO_2NH_2$.

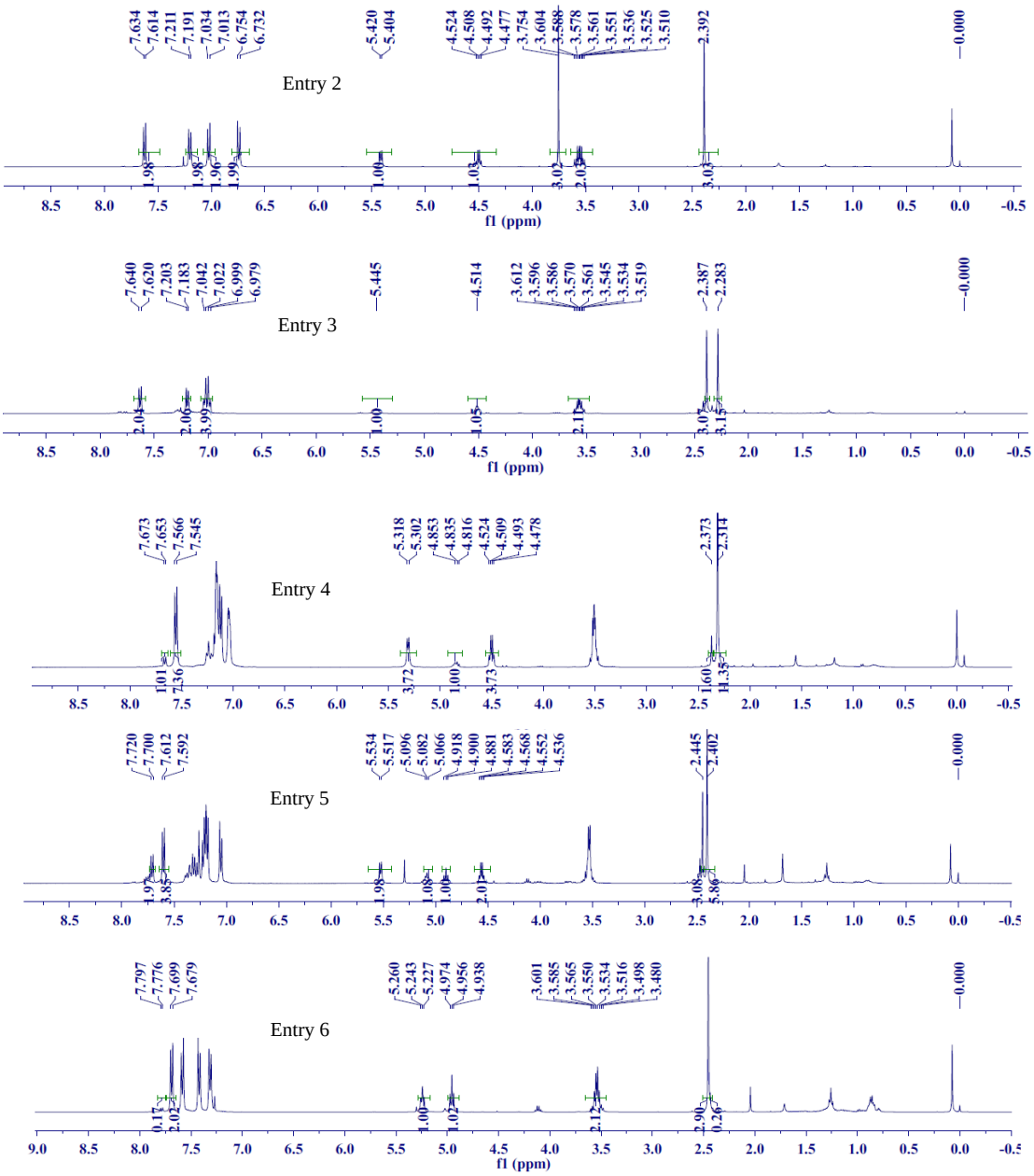
B) Control experiments

a) The regioselective bromoamination of simple olefins without catalysts



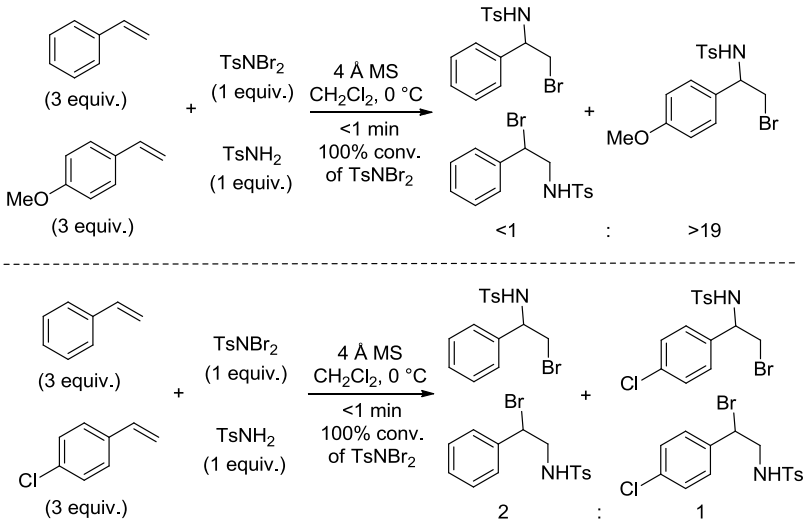
		ABr : BrA =
Entry 1:	R = EtO	1 : >19
Entry 2:	4-$MeOC_6H_4$	1 : >19
Entry 3:	4-MeC_6H_4	1 : >19
Entry 4:	C_6H_5	1 : 7
Entry 5:	4-ClC_6H_4	1 : 2
Entry 6:	4-CNC_6H_4	11 : 1





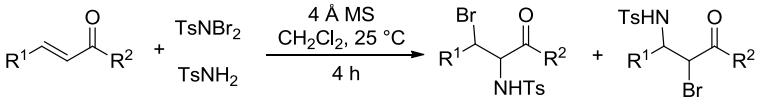
Electron-riched olefins were in favor of the formation of **BrA** products; however, for the electron-deficient olefins, the **ABr** products were observed even as major products.

b) The reactivity of uncatalyzed bromoamination of simple olefins

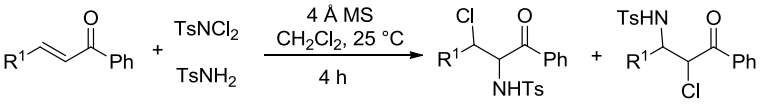


Electron-riched olefins show higher reactivity.

c) The regioselective haloamination of chalcones without Lewis acids



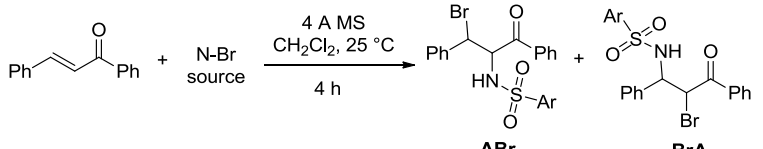
R^1/R^2				
4-$CF_3C_6H_4$/Ph	46% yield	>19 (>19:1 d.r.)	:	<1
4-ClC_6H_4/Ph	85% yield	>19 (>19:1 d.r.)	:	<1
3-ClC_6H_4/Ph	80% yield	>19 (>19:1 d.r.)	:	<1
2-ClC_6H_4/Ph	73% yield	>19 (>19:1 d.r.)	:	<1
Ph/Ph	92% yield	15 (>19:1 d.r.)	:	1
4-$CH_3C_6H_4$/Ph	95% yield	1(>19:1 d.r.)	:	1 (>19:1 d.r.)
4-$CH_3OC_6H_4$/Ph	99% yield (<1 h)	<1	:	>19 (>19:1 d.r.)
Ph/ 4-ClC_6H_4	93% yield	15 (>19:1 d.r.)	:	1
Ph/ 4-$CH_3OC_6H_4$	92% yield	15 (>19:1 d.r.)	:	1



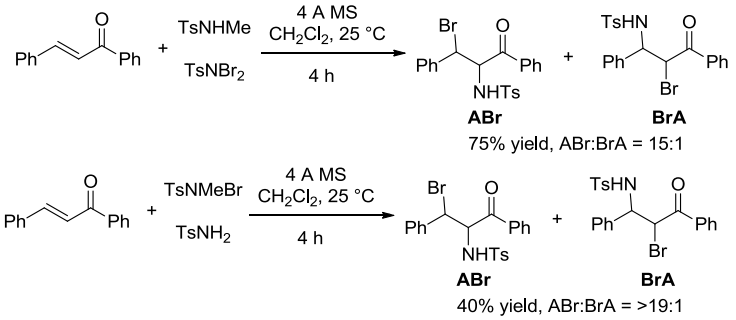
R^1				
4-$CF_3C_6H_4$, 4-ClC_6H_4 or Ph		No reaction		
4-$CH_3C_6H_4$	Trace product	1	:	1.5
4-$CH_3OC_6H_4$	89% yield	<1	:	>19 (>19:1 d.r.)

Electron-riched chalcones exhibited higher reactivity and were in favor of the formation of **BrA** products; however, for the electron-deficient ones, the **ABr** products were observed as major products. Similar results were also observed in the chloroamination reaction.

d) The selectivities influlenced by combinational agents

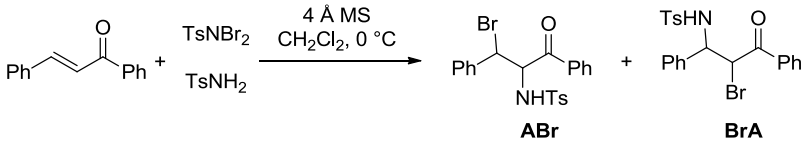


N-Br source (Ar)				
$TsNBr_2/TsNH_2$ (4-MeC_6H_4)	92% yield	15	:	1
$BsNBr_2/BsNH_2$ (Ph)	86% yield	1	:	3
$CBsBr_2/CBsNH_2$ (4-ClC_6H_4)	46% yield	1	:	3
$NsNBr_2/NsNH_2$ (4-$NO_2C_6H_4$)	45% yield	1	:	4
TsNMeBr/TsNHMe	No Reaction			



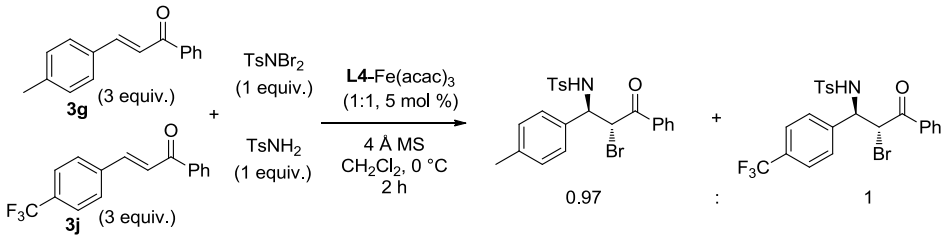
More active bromo-sources like NsNHBr and CBSNHBr generated from the corresponding $ArSO_2NBr_2/ArSO_2NH_2$ furnished increased BrA products. The low reactivity was possibly due to the corresponding weak nucleophilic sulfonamides. The combination of $TsNMeBr/TsNH_2$ could gave N-H product instead of N-Me product due to the formation of TsNHBr (by NMR analysis of $TsNMeBr/TsNH_2$).

e) The selectivities and reactivities influlenced by iron catalyst

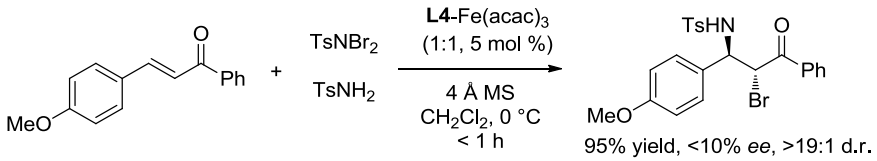


No catalyst	92% yield (4 h)	**ABr** : **BrA** = 15 (>19:1 d.r.) : 1
Using **L4**-Fe(acac)$_3$ (5 mol%)	98% yield (2 h)	1 : >19 (>19:1 d.r.)

The iron catalyst could activate the TsNHBr or $TsNBr_2$ and thus promote the formation of **BrA** product.

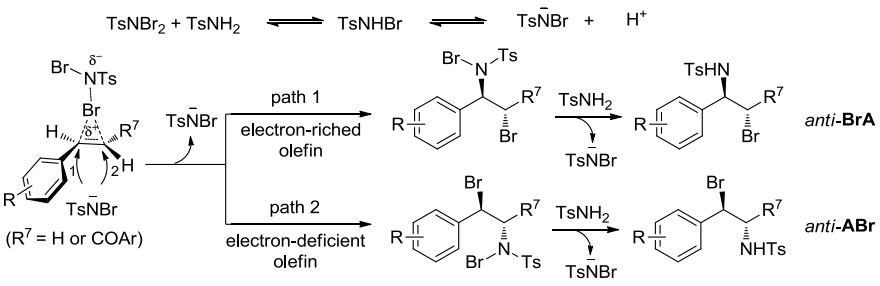


Substrates **3g** and **3j** exhibited similar reactivity in the catalytic asymmetric bromoamination reaction.



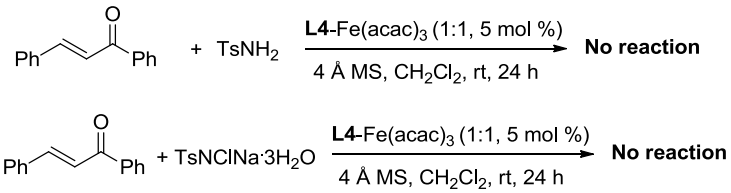
High yield with low ee was due to the extremely strong background reaction.

f) Possible pathways to eluciatate the observed selectivities.



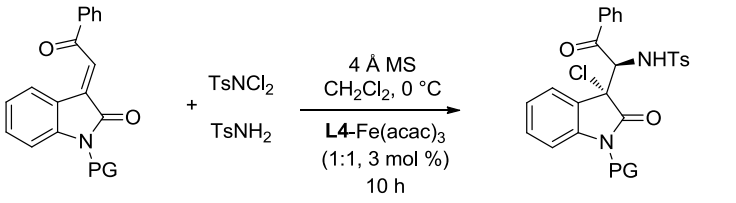
To rationalize the observed experiment results, the possible ways involving the key bromonium ion intermediate were elucidated a Path 1 is favored for electron-riched substrates due to the stabilization effect of electron-riched aryl ring. However, path 2 dominate the reaction pathways for the electron-deficient substrates because of the steric-effect the bulky electron-deficient aryl ring.

g) Control experiments and some comments on possible 1,4-addition-halogenation pathway



1,4-addition products were not observed when using $TsNH_2$ or TsN^-Cl as nucleophile. Although these results still can not completely exclude possible 1,4-addition-halogenation pathway because of the corresponding possible low reaction rate of second protonation step, yet we can conclude that the haloamination reaction was initiated by halo-sources ($TsNX_2$ or TsNHX). Meanwhile, even trace amount of 1,4-addition products can not be observed in the catalytic haloamination reactions. Based on the above mentioned control experiments on the un-catalyzed haloamination reaction of simple olefins and chalcones as well as the fact that $TsNX_2$ were ofen used as X^+ source to form halonium ions, we still tend to a mechanism involving key halonium ion intermediates

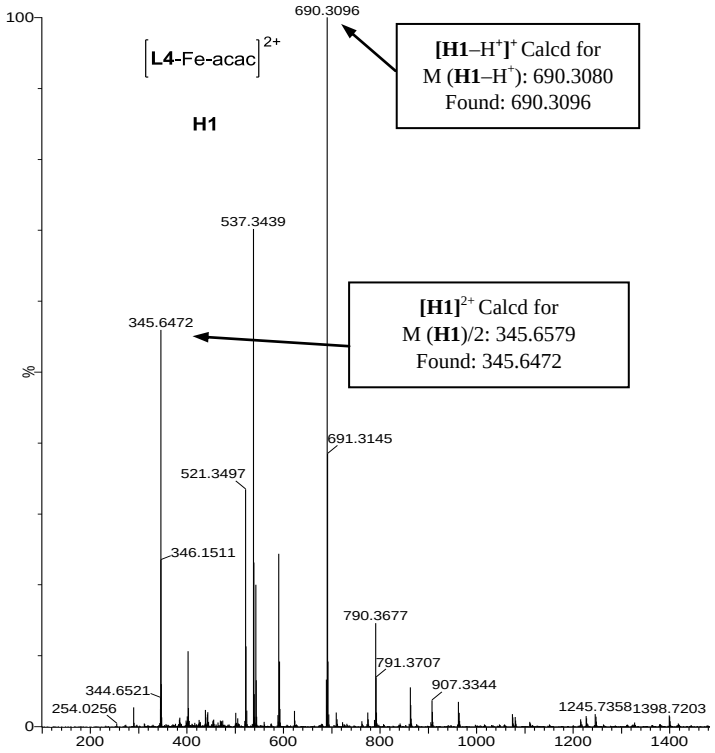
h) The effect of protect group in the catalytic asymmetric chloroamination reaction



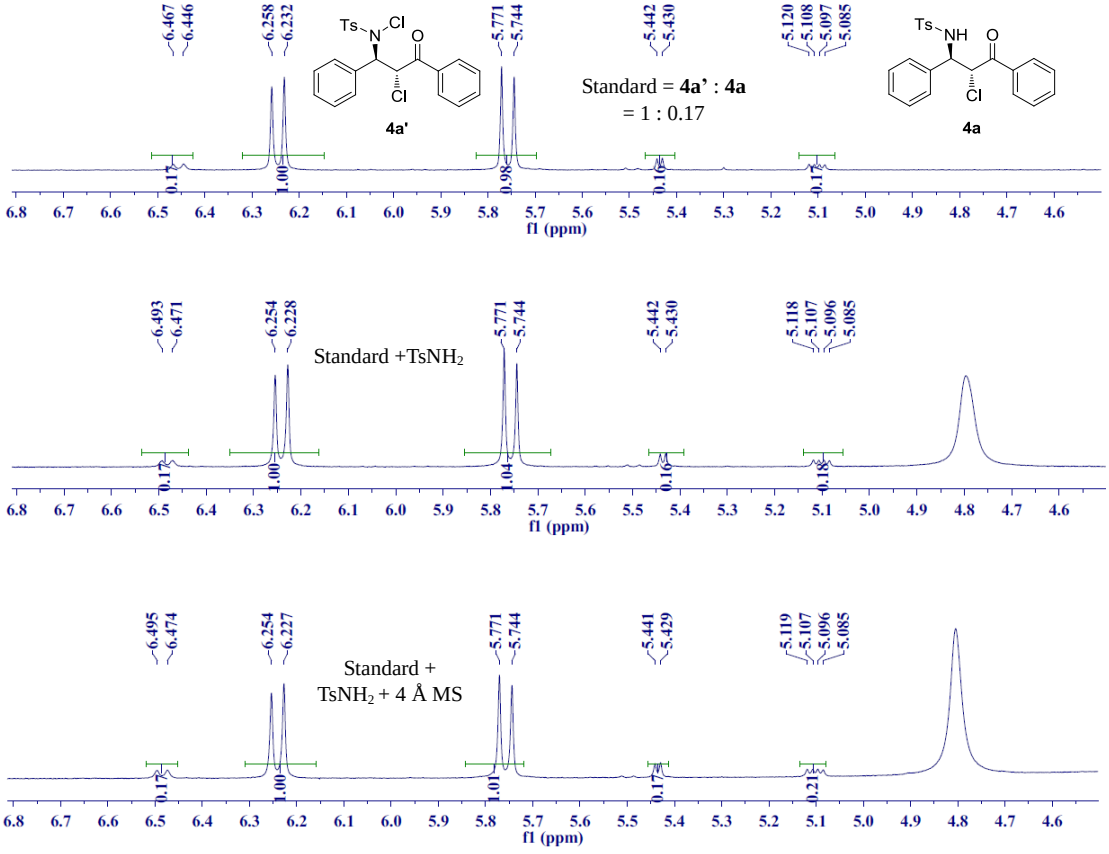
PG = Bn
Me
Boc

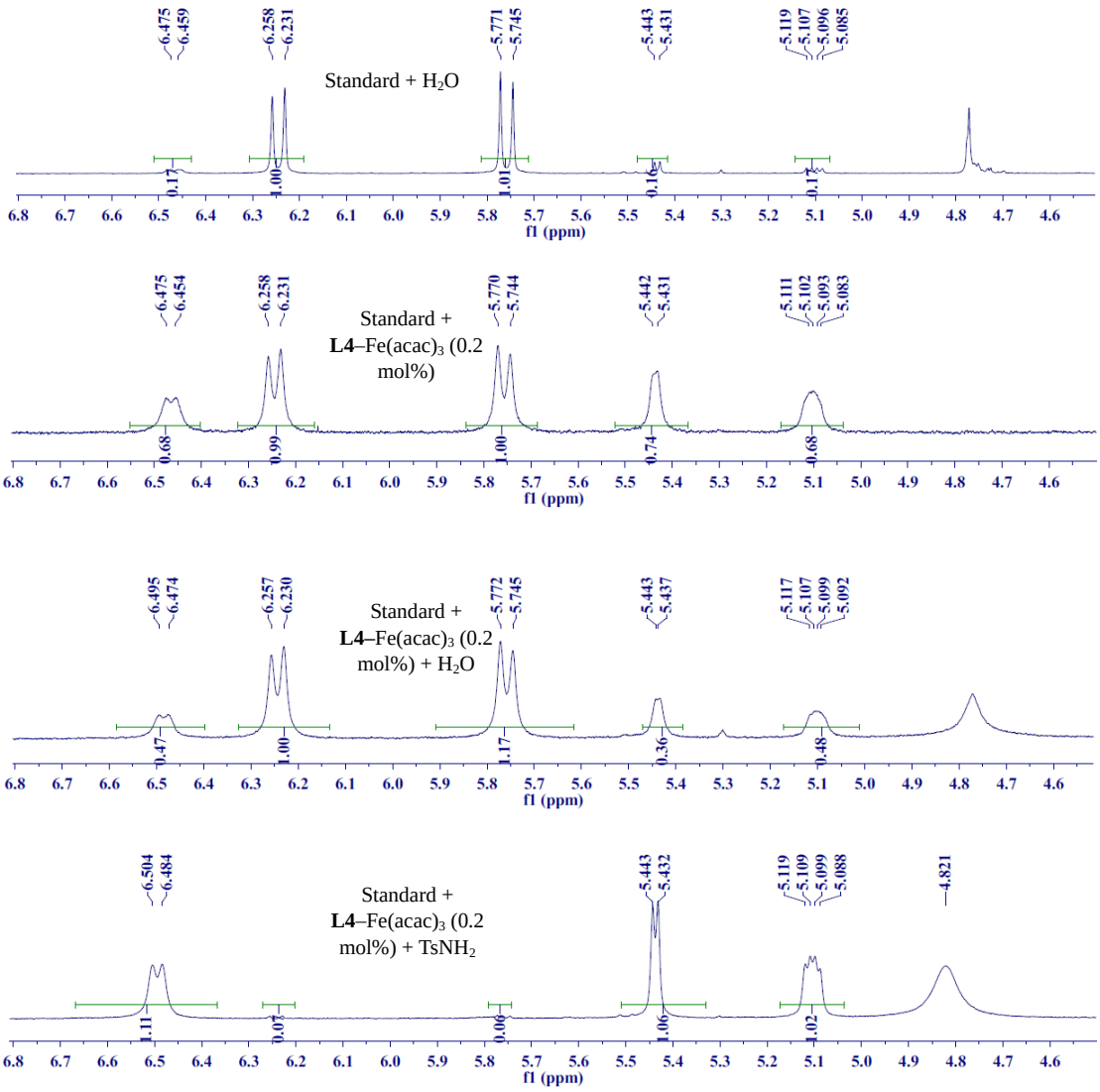
99% yield, 99% *ee*
95% yield, 96% *ee*
88% yield, <10% *ee*

C) HRMS analysis of the iron catalyst **L4**–$Fe(acac)_3$



D) Transformation of N-Cl product 4a' to N-H product 4a monitoring by ^{1}H NMR

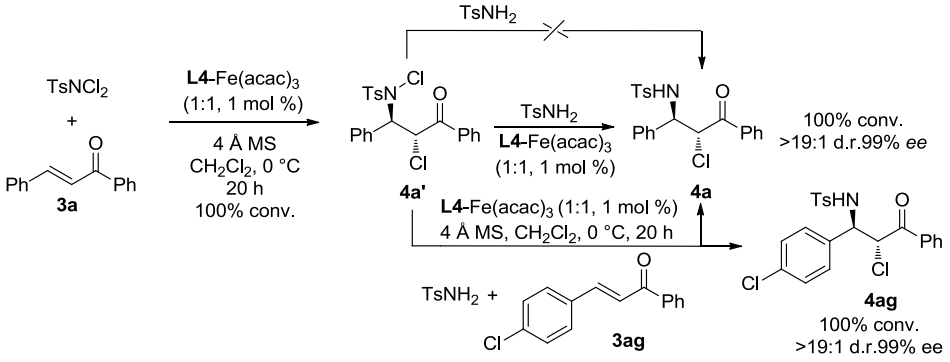


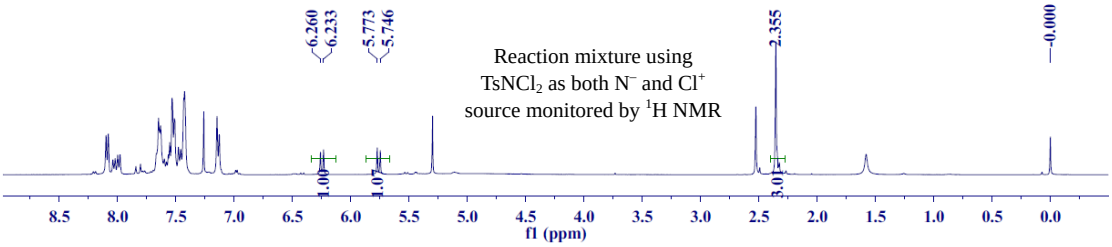


N-Cl product **4a'** decomposed to **4a** after work-up by flash chromatography via silica gel and only minor mixture of **4a'** and **4a** (**4a'** : **4a** = 1 : 0.17) was obtained.

Both $TsNH_2$ and iron catalyst were crucial to the transformation of **4a'** to **4a**.

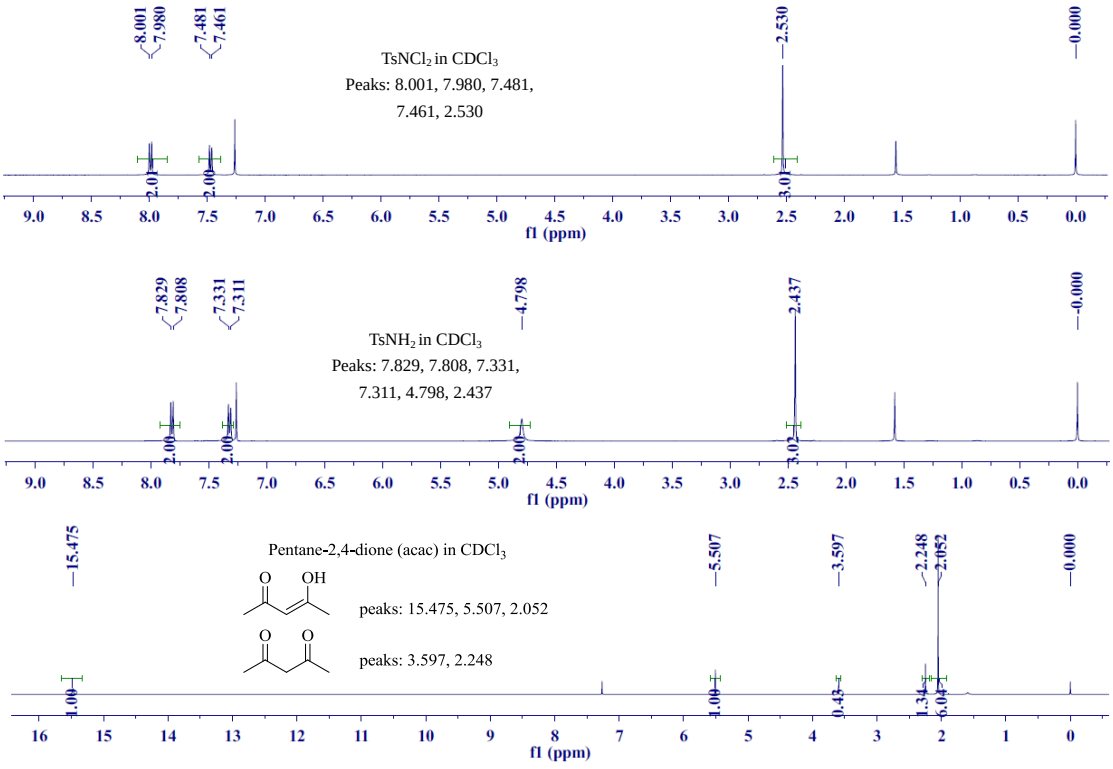
E) Iron-catalyzed chloroamination reaction using $TsNCl_2$ as agent.

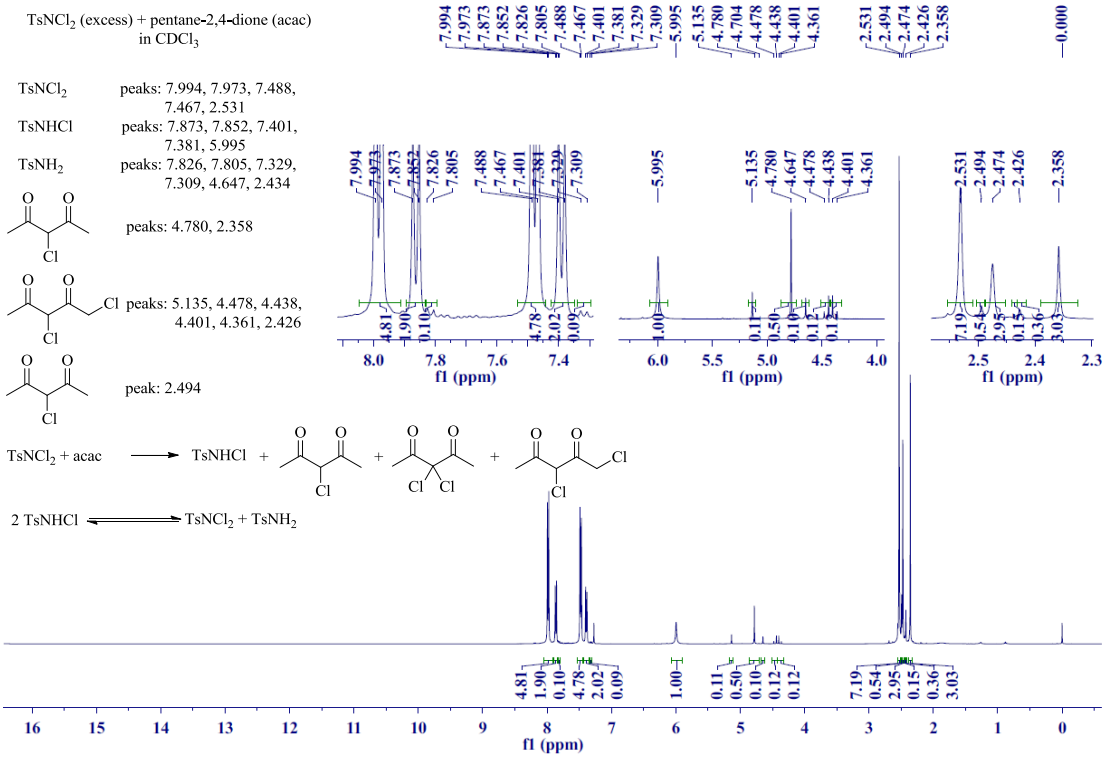




In the iron-catalyzed asymmetric chloroamination, N-Cl chloroaiminated adduct **4a'** was observed as sole product by direct monitoring the reaction mixture after 10 h by ^{1}H NMR when using $TsNCl_2$ as both N^- and Cl^+ source. Meanwhile, in the presence of iron catalyst and $TsNH_2$, **4a'** could smoothly transform to **4a** and regenerate active N^- and Cl^+ source.

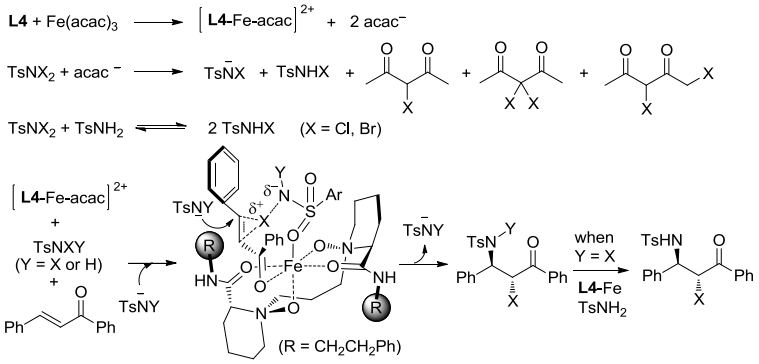
F) **Monitoring reaction of $TsNCl_2$ and pentane-2,4-dione (acac) in $CDCl_3$ by ^{1}H NMR**





Monitoring reaction of $TsNCl_2$ and pentane-2,4-dione (acac) in $CDCl_3$ by ^1H NMR indicated that TsNHCl, 3-chloropentane-2,4-dione, 3,3-dichloropentane-2,4-dione and 1,3-dichloropentane-2,4-dione were the major products.

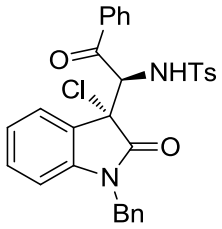
G) A concerted cooperative pathway to rationalize high d.r. and *ee*



In the initial step, the hexa-coordinated chiral iron catalyst **L4**/**1a**/TsNXY/Fe(III) performed via the oxygens to the metal center. The α-*Re*-face attack of the halogen source to α,β-unsaturated carbonyl compound forms the bridged halonium ion-like intermediate, immediately following an S_N2 of the ring opening with an nitrogen nucleophile. The catalytic model accounts for the excellent *anti* diastereoselectivity and (*R*,*R*)-configured products.

6. Characterization of the new products

***N*-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2a):**



2a

Prepared according to the general precedure. The tittle compound **2a** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 24.84 min, t_r (minor) = 16.78 min, *ee* = 99%.

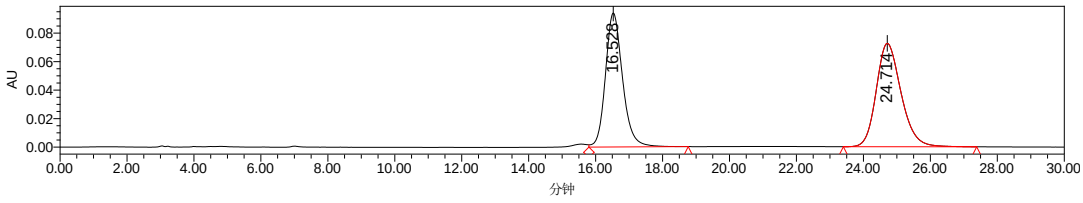
d.r. >19:1 (by ^{1}H NMR).

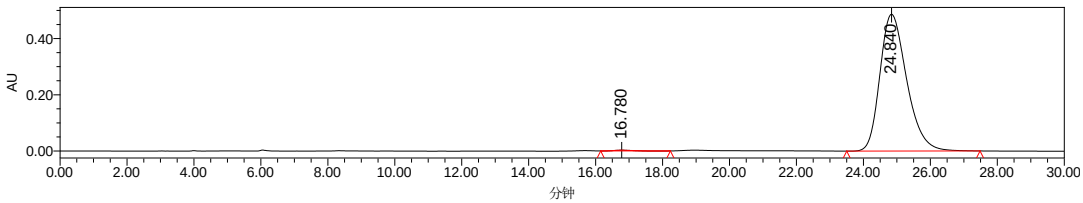
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J*=7.4, 2H), 7.48 (d, *J*=7.9, 3H), 7.36 – 7.15 (m, 8H), 7.05 (t, *J*=7.4, 1H), 6.91 (d, *J*=7.9, 2H), 6.83 (t, *J*=7.4, 1H), 6.54 (d, *J*=7.8, 1H), 6.13 (d, *J*=10.0, 1H), 5.77 (d, *J*=10.2, 1H), 4.95 (d, *J*=15.8, 1H), 4.76 (d, *J*=15.8, 1H), 2.15 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.01, 172.85, 143.70, 142.27, 136.63, 135.76, 134.70, 134.17, 131.01, 129.37, 129.10, 128.95, 128.45, 127.89, 127.35, 127.25, 125.93, 125.47, 123.24, 110.27, 58.86, 52.34, 44.42, 21.43.

HRMS (ESI-TOF) calcd for $C_{30}H_{25}{}^{34.9689}ClN_2O_4S$ ([M]+Na^+) = 567.1121, Found 567.1129.

$[\alpha]^{25}_D$ = 60.1 (*c* = 1.04, in CH_2Cl_2).

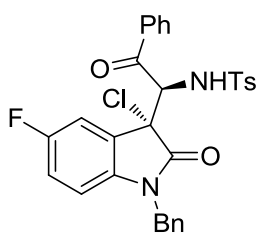




	Retention Time	Area	% Area
1	16.780	107508	0.40
2	24.840	27090095	99.60

***N*-(1-(1-benzyl-3-chloro-5-fluoro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2b):**

Prepared according to the general precedure. The tittle compound **2b** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 10 h).



2b

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 19.40 min, t_r (minor) = 15.52 min, *ee* = 97%.

d.r. >19:1 (by ^{1}H NMR).

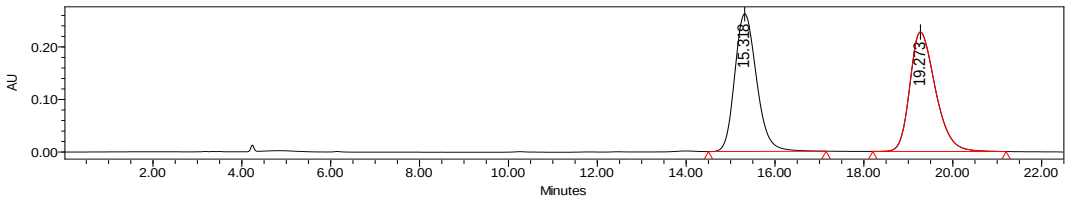
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.9, 2H), 7.52 (t, *J*=7.3, 1H), 7.47 (d, *J*=8.1, 2H), 7.34 (t, *J*=7.7, 2H), 7.32 – 7.19 (m, 5H), 6.98 (d, *J*=7.8, 1H), 6.90 (d, *J*=8.0, 2H), 6.84 (t, *J*=8.7, 1H), 6.51 (dd, *J*=8.6, 4.0, 1H), 5.89

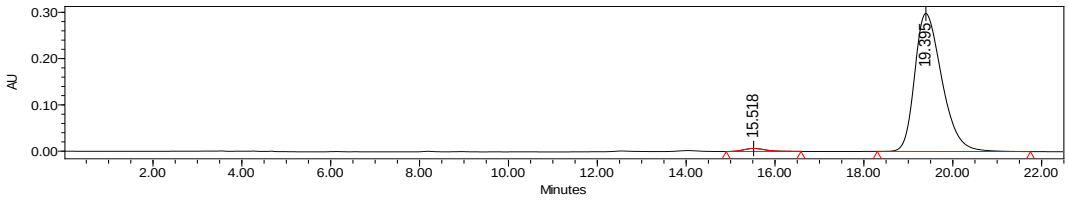
– 5.59 (m, 2H), 5.02 (d, *J*=15.8, 1H), 4.70 (d, *J*=15.8, 1H), 2.14 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.87, 172.01, 159.01 (d, *J*=243.1), 143.92, 138.91, 136.14, 135.69, 134.39, 134.31, 129.44, 129.25, 129.04, 128.47, 128.03, 127.43, 127.28, 126.15 (d, *J*=8.6), 117.77 (d, *J*=23.6), 114.09 (d, *J*=25.9), 110.93 (d, *J*=8.1), 61.81, 58.27, 44.66, 21.38.

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{34.9689}ClFN_2O_4S$ ([M]+Na^+) = 585.1027, Found 585.1030.

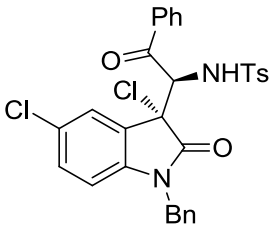
$[\alpha]^{25}_D$ = 45.8 (*c* = 0.53, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.518	223300	1.74
2	19.395	12645838	98.26

_N_-(1-(1-benzyl-3,5-dichloro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2c):



2c

Prepared according to the general precedure. The tittle compound **2c** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 17.93 min, t_r (minor) = 15.29 min, *ee* = 96%.

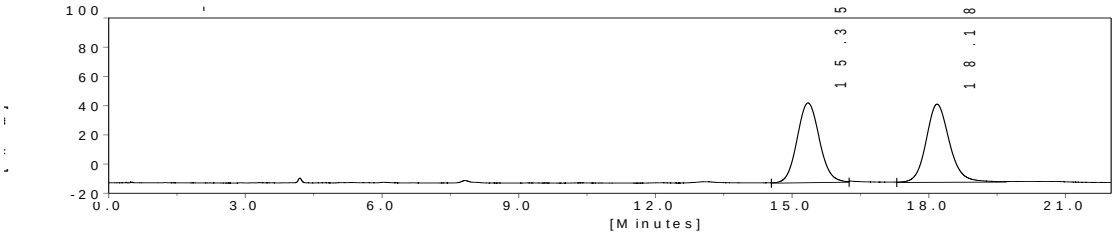
d.r. >19:1 (by ^{1}H NMR).

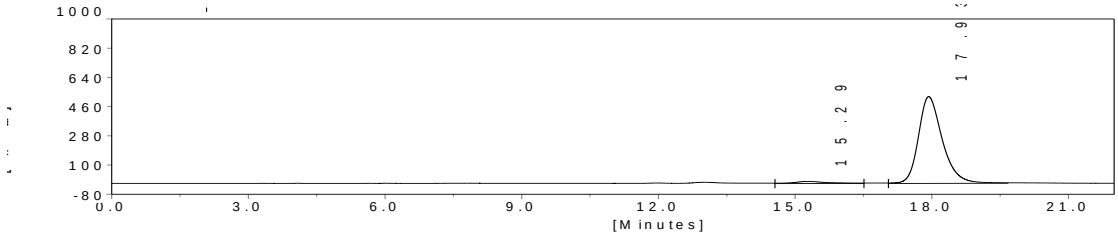
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.76 (d, *J*=7.7, 2H), 7.51 (t, *J*=7.4, 1H), 7.46 (d, *J*=7.9, 2H), 7.41 – 7.13 (m, 8H), 7.08 (d, *J*=7.9, 1H), 6.89 (d, *J*=7.9, 2H), 6.50 (d, *J*=8.4, 1H), 5.73 (s, 1H), 5.70 (s, 1H), 5.02 (d, *J*=15.8, 1H), 4.69 (d, *J*=15.8, 1H), 2.12 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.86, 171.82, 143.95, 141.45, 136.11, 135.67, 134.41, 134.19, 131.17, 129.46, 129.24, 129.07, 128.72, 128.47, 128.08, 127.44, 127.27, 126.42, 126.32, 111.22, 61.63, 58.33, 44.63, 21.39.

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{34.9689}Cl_2N_2O_4S$ ([M]+Na^+) = 601.0732, Found 601.0740.

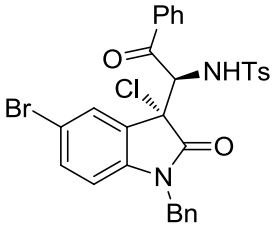
$[\alpha]^{25}_D$ = 45.2 (*c* = 1.09, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.29	397.19	2.0398
2	17.93	19074.85	97.9602

_N_-(1-(1-benzyl-5-bromo-3-chloro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2d):



2d

Prepared according to the general precedure. The tittle compound **2d** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 20.00 min, t_r (minor) = 16.65 min, *ee* = 96%.

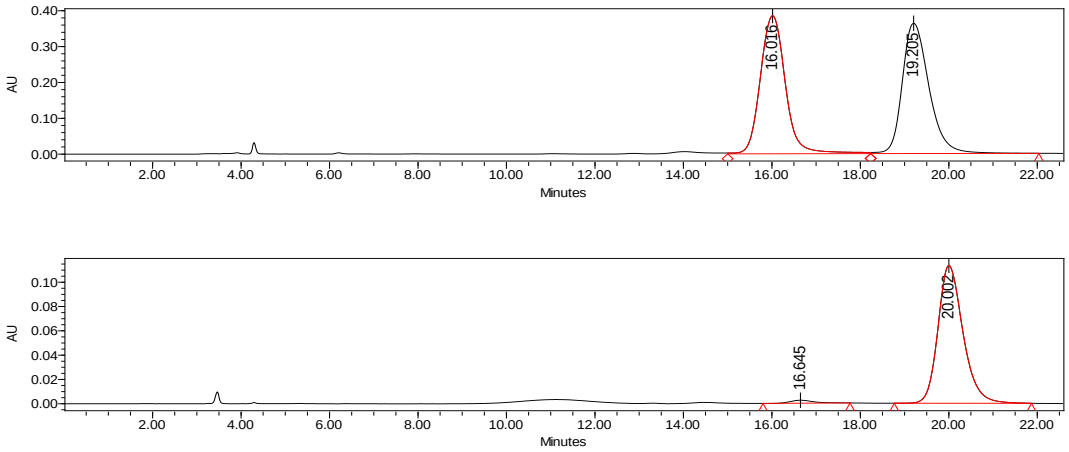
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.75 (d, *J*=7.5, 2H), 7.51 (t, *J*=7.3, 1H), 7.46 (d, *J*=8.1, 2H), 7.40 – 7.20 (m, 9H), 6.89 (d, *J*=8.0, 2H), 6.45 (d, *J*=8.4, 1H), 5.75 (d, *J*=10.4, 1H), 5.68 (d, *J*=10.4, 1H), 5.02 (d, *J*=15.8, 1H), 4.68 (d, *J*=15.8, 1H), 2.12 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.87, 171.71, 143.96, 141.93, 136.06, 135.65, 134.43, 134.14, 134.07, 129.46, 129.24, 129.17, 129.08, 128.47, 128.09, 127.44, 127.27, 126.63, 115.87, 111.68, 61.55, 58.33, 44.61, 21.41.

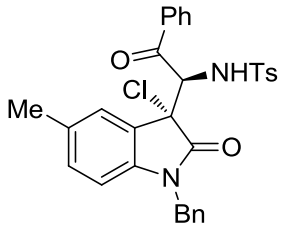
HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}Br^{34.9689}ClN_2O_4S$ ([M]+H$^+$) = 623.0407, Found 623.0401.

$[\alpha]^{25}_D$ = 35.0 (*c* = 1.21, in CH_2Cl_2).



	Retention Time	Area	% Area
1	16.645	89979	1.98
2	20.002	4454844	98.02

***N*-(1-(1-benzyl-3-chloro-5-methyl-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2e):**



2e

Prepared according to the general precedure. The tittle compound **2e** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 21.38 min, t_r (minor) = 15.52 min, *ee* = 99%.

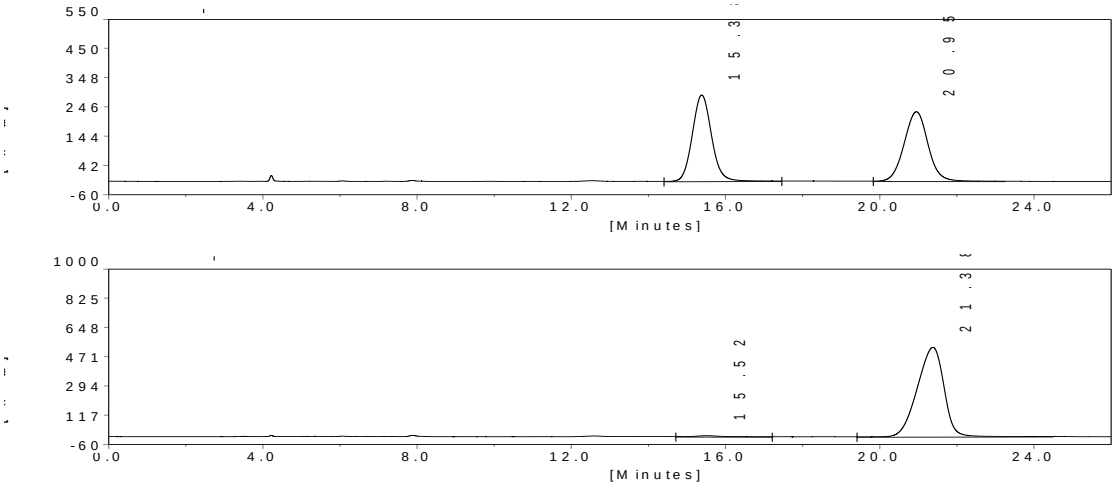
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.74 (d, *J*=7.5, 2H), 7.49 (d, *J*=7.4, 3H), 7.40 – 7.16 (m, 7H), 6.98 (s, 1H), 6.96 – 6.75 (m, 3H), 6.45 (d, *J*=7.9, 1H), 5.89 (d, *J*=10.2, 1H), 5.71 (d, *J*=10.3, 1H), 4.97 (d, *J*=15.7, 1H), 4.70 (d, *J*=15.8, 1H), 2.14 (s, 3H), 2.11 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.02, 172.17, 143.75, 140.39, 136.46, 135.99, 134.75, 134.08, 132.98, 131.55, 129.40, 129.10, 128.92, 128.37, 127.84, 127.41, 127.28, 126.52, 124.66, 109.95, 62.15, 58.78, 44.48, 21.40, 20.94.

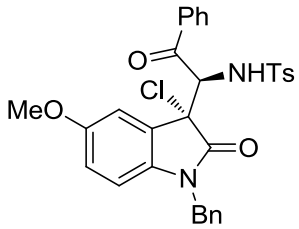
HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{34.9689}ClN_2O_4S$ ([M]+Na^+) = 581.1278, Found 581.1285.

$[\alpha]^{25}_D$ = 49.9 (*c* = 1.09, in CH_2Cl_2).



	Retention Time	Area	% Area
1	15.52	190.13	0.7348
2	21.38	25684.24	99.2652

***N*-(1-(1-benzyl-3-chloro-5-methoxy-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2f):**



2f

Prepared according to the general precedure. The tittle compound **2f** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 30.61 min, t_r (minor) = 20.46 min, *ee* = 98%.

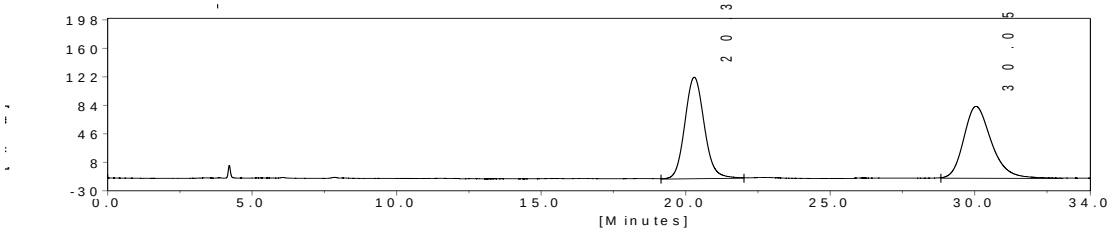
d.r. >19:1 (by ^{1}H NMR).

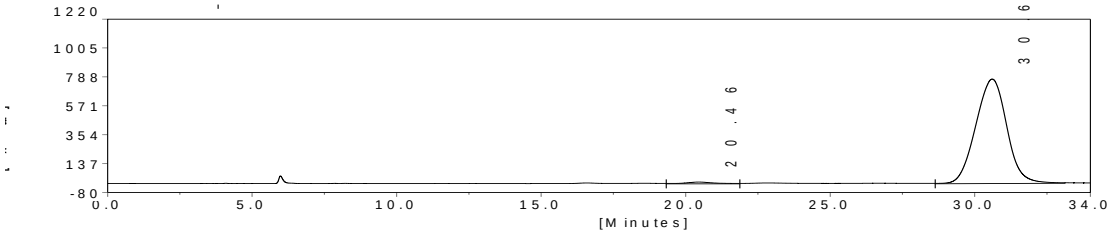
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (d, *J*=7.6, 2H), 7.55 (d, *J*=8.0, 3H), 7.44 – 7.32 (m, 6H), 7.31 – 7.25 (m, 1H), 6.97 (d, *J*=8.0, 2H), 6.88 (d, *J*=2.3, 1H), 6.68 (dd, *J*=8.6, 2.3, 1H), 6.51 (d, *J*=8.6, 1H), 6.04 (d, *J*=10.3, 1H), 5.79 (d, *J*=10.3, 1H), 5.06 (d, *J*=15.8, 1H), 4.75 (d, *J*=15.8, 1H), 3.65 (s, 3H), 2.20 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.96, 172.03, 156.07, 143.79, 136.39, 136.00, 135.92, 134.74, 134.18, 129.42, 129.13, 128.95, 128.43, 127.88, 127.39, 127.32, 125.71, 116.37, 112.37, 110.83, 62.30, 58.66, 55.79, 44.53, 21.42.

HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 597.1227, Found 597.1224.

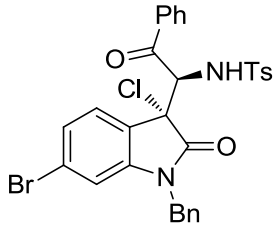
$[\alpha]^{25}_D$ = 51.1 (*c* = 1.06, in CH_2Cl_2).





	Retention Time	Area	% Area
1	20.46	507.79	0.8718
2	30.61	57736.49	99.1282

***N*-(1-(1-benzyl-6-bromo-3-chloro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2g):**



2g

Prepared according to the general precedure. The tittle compound **2g** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.88 min, t_r (minor) = 14.92 min, *ee* = 95%.

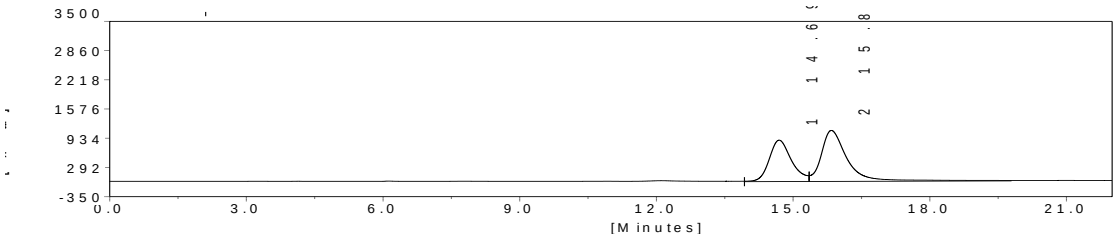
d.r. >19:1 (by ^{1}H NMR).

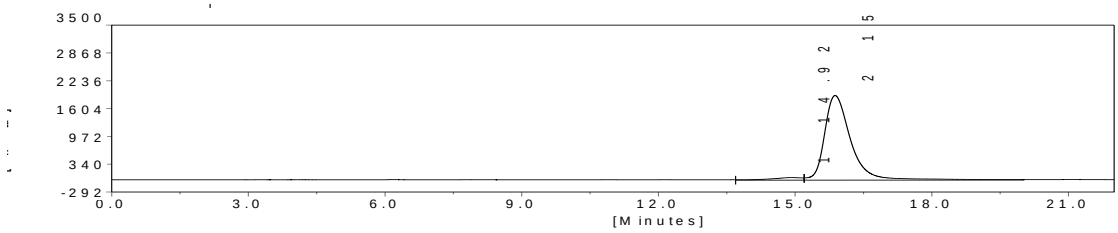
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.76 (d, *J*=7.7, 2H), 7.50 (d, *J*=7.3, 1H), 7.45 (d, *J*=8.0, 2H), 7.38 – 7.20 (m, 7H), 7.05 (q, *J*=8.4, 2H), 6.88 (d, *J*=7.9, 2H), 6.74 (s, 1H), 5.75 (d, *J*=10.4, 1H), 5.69 (d, *J*=10.4, 1H), 5.00 (d, *J*=15.8, 1H), 4.67 (d, *J*=15.8, 1H), 2.12 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.82, 172.13, 144.20, 143.92, 136.11, 135.61, 134.43, 134.09, 129.44, 129.26, 129.13, 128.47, 128.14, 127.42, 127.26, 126.23, 125.28, 123.65, 113.53, 61.61, 58.25, 44.59, 21.40.

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}Br^{34.9689}ClN_2O_4S$ ([M]+H^+) = 623.0407, Found 623.0404.

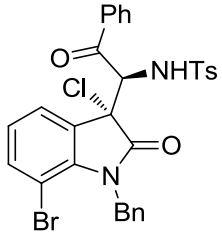
$[\alpha]^{25}_D$ = 7.3 (*c* = 1.20, in CH_2Cl_2).





	Retention Time	Area	% Area
1	14.92	1940.64	2.5268
2	15.88	74862.45	97.4732

***N*-(1-(1-benzyl-7-bromo-3-chloro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2h):**



2h

Prepared according to the general precedure. The tittle compound **2h** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 91% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 19.72 min, t_r (minor) = 17.00 min, *ee* = 95%.

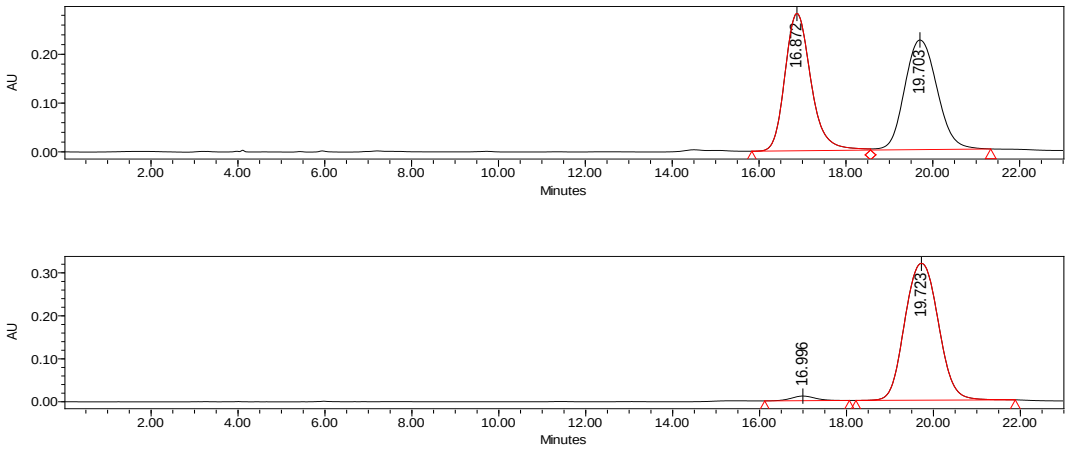
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.6, 2H), 7.48 (dd, *J*=20.1, 7.7, 3H), 7.38 – 7.15 (m, 9H), 6.90 (d, *J*=7.9, 2H), 6.77 (t, *J*=7.8, 1H), 5.95 (d, *J*=10.3, 1H), 5.73 (d, *J*=10.3, 1H), 5.31 (d, *J*=48.7, 2H), 2.14 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.57, 173.28, 143.87, 140.48, 137.12, 136.45, 136.32, 135.54, 134.41, 129.43, 129.23, 128.73, 128.53, 128.15, 127.40, 127.31, 126.31, 124.98, 124.52, 103.18, 61.03, 58.78, 45.37, 21.44.

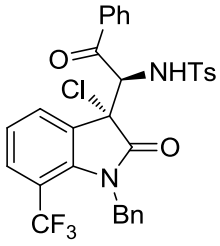
HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}Br^{34.9689}ClN_2O_4S$ ([M]+H$^+$) = 623.0407, Found 623.0405.

$[\alpha]^{25}_D$ = 23.5 (*c* = 1.17, in CH_2Cl_2).



	Retention Time	Area	% Area
1	16.996	409360	2.35
2	19.723	17017199	97.65

***N*-(1-(1-benzyl-3-chloro-2-oxo-7-(trifluoromethyl)indolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (2i):**



2i

Prepared according to the general precedure. The tittle compound **2i** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 93% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 11.59 min, t_r (minor) = 13.18 min, *ee* = 94%.

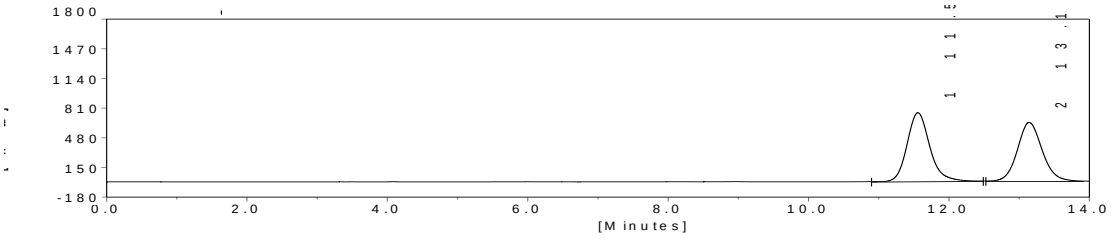
d.r. >19:1 (by ^{1}H NMR).

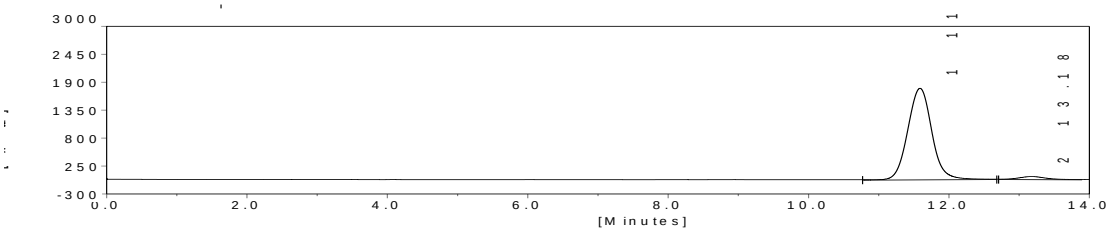
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.85 (d, *J*=7.6, 2H), 7.61 – 7.50 (m, 5H), 7.40 (t, *J*=7.7, 2H), 7.32 (t, *J*=7.4, 2H), 7.23 (t, *J*=8.6, 3H), 7.09 (t, *J*=7.8, 1H), 6.99 (d, *J*=8.0, 2H), 6.01 (d, *J*=10.2, 1H), 5.83 (d, *J*=10.3, 1H), 5.25 – 5.09 (m, 2H), 2.23 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.55, 173.74, 143.93, 136.33, 135.46, 135.25, 134.50, 129.54, 129.45, 129.29 (d, *J*=6.3), 129.21, 128.58, 128.55, 127.97, 127.39, 127.13, 125.66, 124.16, 122.91, 121.46, 113.77 (d, *J*=33.2), 59.78, 58.88, 46.32 (d, *J*=4.7), 21.43.

HRMS (ESI-TOF) calcd for $C_{31}H_{24}{}^{34.9689}ClF_3N_2O_4S$ ([M]+Na^+) = 635.0995, Found 635.1002.

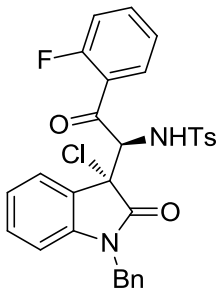
$[\alpha]^{25}_D$ = 27.1 (*c* = 1.06, in CH_2Cl_2).





	Retention Time	Area	% Area
1	11.59	42214.63	97.0354
2	13.18	1289.75	2.9646

***N*-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(2-fluorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (2j):**



2j

Prepared according to the general precedure. The tittle compound **2j** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 35.91 min, t_r (minor) = 22.04 min, *ee* = 99%.

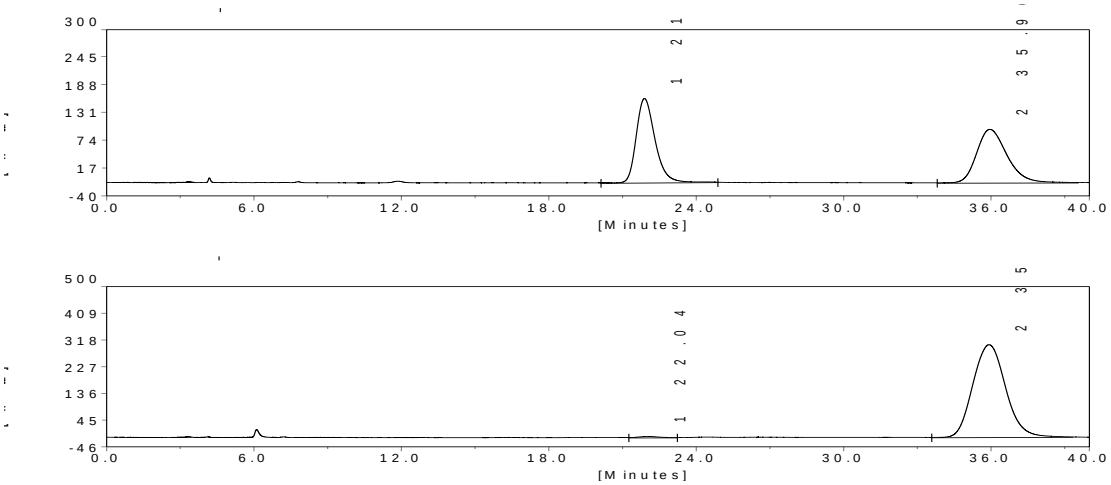
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.65 (d, *J*=8.2, 2H), 7.57 – 7.45 (m, 2H), 7.39 – 7.27 (m, 6H), 7.16 (t, *J*=7.8, 1H), 7.13 – 7.00 (m, 4H), 6.94 (t, *J*=7.6, 1H), 6.65 (d, *J*=7.9, 1H), 6.24 (d, *J*=10.1, 1H), 5.74 (dd, *J*=10.3, 2.9, 1H), 5.01 (d, *J*=15.7, 1H), 4.79 (d, *J*=15.8, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.76, 193.72, 172.07, 161.52 (d, *J*=256.3), 143.82, 142.53, 136.47, 135.80 (d, *J*=9.5),134.69, 131.23, 130.72, 129.48, 128.94, 127.93, 127.45, 127.37, 125.50, 125.19, 124.53 (d, *J*=10.8), 124.42 (d, *J*=3.3), 123.37, 116.76 (d, *J*=23.6), 110.17, 62.72 (d, *J*=10.0) , 62.32, 44.45, 21.47.

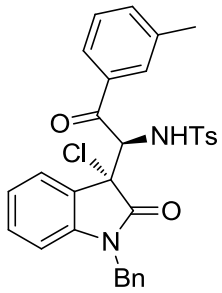
HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{34.9689}ClFN_2O_4S$ ([M]+Na^+) = 585.1027, Found 585.1033.

$[\alpha]^{25}_D$ = 50.6 (*c* = 1.10, in CH_2Cl_2).



	Retention Time	Area	% Area
1	22.04	167.30	0.5731
2	35.91	129026.94	99.4269

***N*-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-oxo-2-(m-tolyl)ethyl)-4-methylbenzenesulfonamide (2k):**



2k

Prepared according to the general precedure. The tittle compound **2k** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 16.21 min, t_r (minor) = 12.85 min, *ee* = 99%.

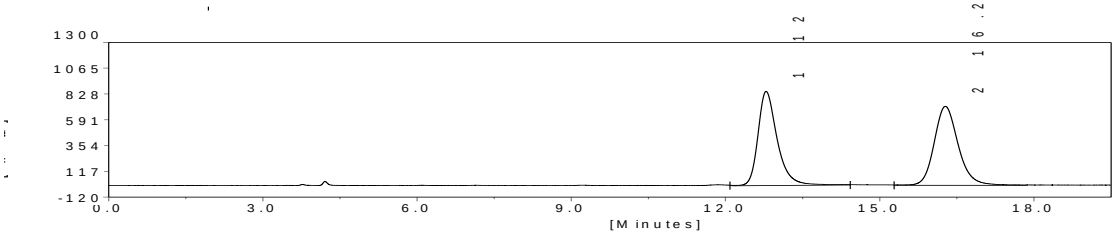
d.r. >19:1 (by ^{1}H NMR).

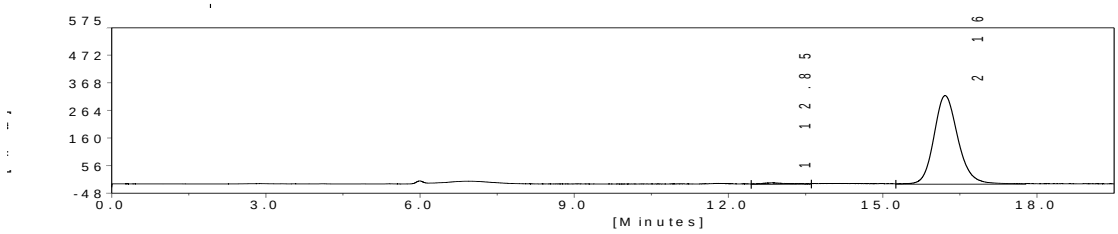
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.60 (d, *J*=7.6, 1H), 7.53 – 7.42 (m, 3H), 7.35 – 7.15 (m, 8H), 7.11 (t, *J*=7.7, 1H), 6.89 (dd, *J*=15.5, 7.8, 3H), 6.58 (d, *J*=7.8, 1H), 5.96 – 5.77 (m, 1H), 5.69 (d, *J*=10.3, 1H), 5.00 (d, *J*=15.8, 1H), 4.72 (d, *J*=15.8, 1H), 2.30 (s, 3H), 2.14 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.01, 172.32, 143.75, 142.87, 138.31, 136.38, 135.89, 135.04, 134.66, 131.19, 129.41, 129.37, 128.97, 128.32, 127.90, 127.42, 127.31, 126.65, 125.90, 124.75, 123.20, 110.18, 62.05, 58.67, 44.48, 21.40, 21.32.

HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{34.9689}ClN_2O_4S$ ([M]+Na^+) = 581.1278, Found 581.1280.

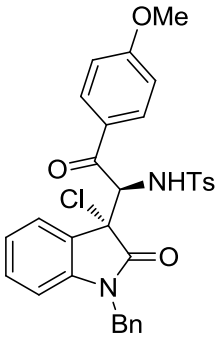
$[\alpha]^{25}_D$ = 55.3 (*c* = 1.10, in CH_2Cl_2).





	Retention Time	Area	% Area
1	12.85	66.93	0.6233
2	16.21	10672.39	99.3767

_N_-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methoxyphenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (2l):



2l

Prepared according to the general precedure. The tittle compound **2l** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 20 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 28.57 min, t_r (minor) = 25.08 min, *ee* = 99%.

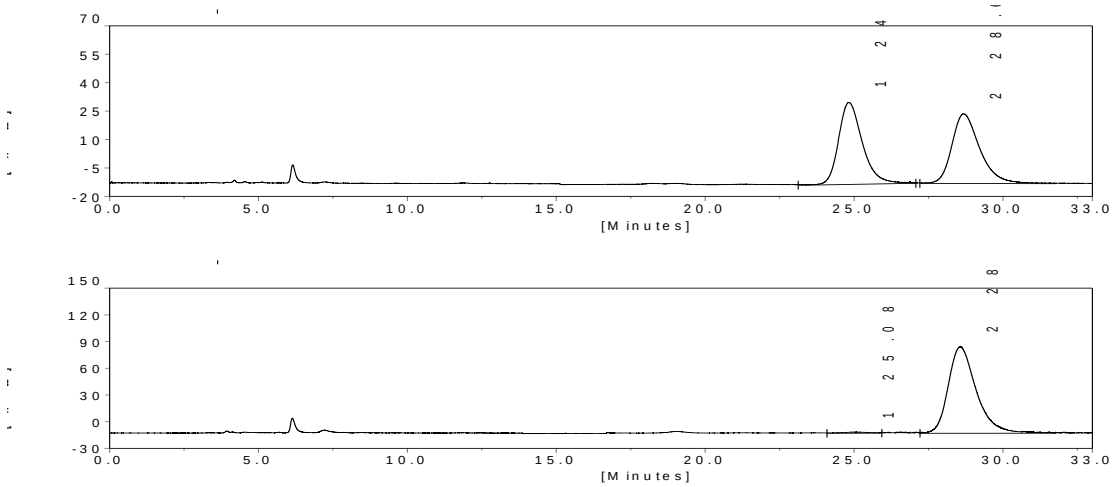
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.8, 2H), 7.47 (d, *J*=7.3, 2H), 7.37 – 7.25 (m, 4H), 7.20 (d, *J*=7.4, 2H), 7.11 (t, *J*=7.7, 1H), 6.91 (d, *J*=7.3, 3H), 6.80 (d, *J*=7.8, 2H), 6.58 (d, *J*=7.8, 1H), 5.79 (d, *J*=10.2, 1H), 5.66 (d, *J*=10.3, 1H), 5.02 (d, *J*=15.8, 1H), 4.72 (d, *J*=15.8, 1H), 3.81 (s, 3H), 2.15 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.63, 172.44, 164.57, 143.72, 142.89, 136.33, 134.67, 131.86, 131.15, 129.71, 129.34, 128.96, 127.88, 127.44, 127.29, 126.48, 125.97, 124.82, 123.17, 113.61, 110.14, 62.21, 58.29, 55.69, 44.46, 21.42.

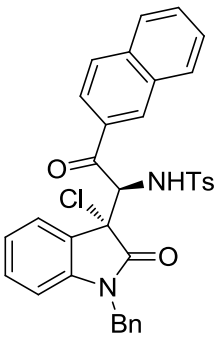
HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 597.1227, Found 597.1223.

$[\alpha]^{25}_D$ = 75.1 (*c* = 1.12, in CH_2Cl_2).



	Retention Time	Area	% Area
1	25.08	37.88	0.6025
2	28.57	6248.27	99.3975

_N_-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(naphthalen-2-yl)-2-oxoethyl)-4-methylbenzenesulfonamide (2m):



2m

Prepared according to the general precedure. The tittle compound **2m** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 29.65 min, t_r (minor) = 19.17 min, *ee* = 96%.

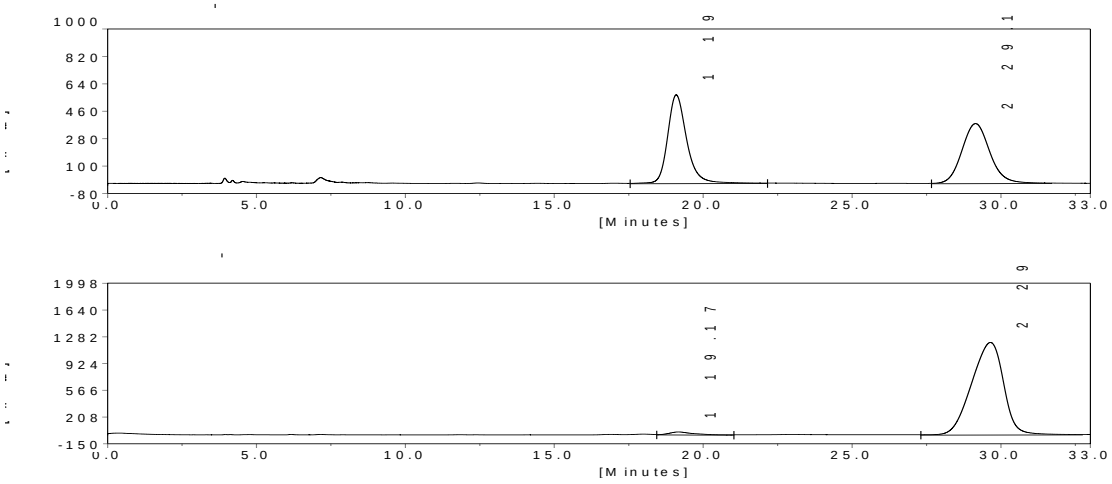
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.48 (s, 1H), 8.07 (d, *J*=7.9, 1H), 7.93 (d, *J*=7.9, 1H), 7.86 (s, 2H), 7.70 (dt, *J*=14.6, 6.8, 2H), 7.60 (d, *J*=7.9, 2H), 7.50 – 7.31 (m, 6H), 7.25 (t, *J*=7.6, 1H), 7.02 (t, *J*=7.5, 1H), 6.88 (d, *J*=7.8, 2H), 6.74 (d, *J*=7.8, 1H), 6.02 (q, *J*=10.3, 2H), 5.19 (d, *J*=15.8, 1H), 4.89 (d, *J*=15.8, 1H), 2.03 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 194.62, 171.32, 142.73, 141.87, 135.16, 134.84, 133.61, 132.07, 130.93, 130.90, 130.17, 129.03, 128.39, 128.28, 127.93, 127.23, 126.86, 126.66, 126.34, 126.27, 126.11, 124.92, 123.70, 122.83, 122.18, 109.15, 61.15, 57.57, 43.47, 20.07.

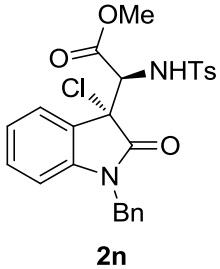
HRMS (ESI-TOF) calcd for $C_{34}H_{27}{}^{34.9689}ClN_2O_4S$ ([M]+Na^+) = 617.1278, Found 617.1274.

$[\alpha]^{25}_D$ = 92.0 (*c* = 1.18, in CH_2Cl_2).



	Retention Time	Area	% Area
1	19.17	1702.29	1.8251
2	29.65	91570.06	98.1749

Methyl 2-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (2n):



2n

Prepared according to the general precedure. The tittle compound **2n** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 32.69 min, t_r (minor) = 12.47 min, *ee* = 98%.

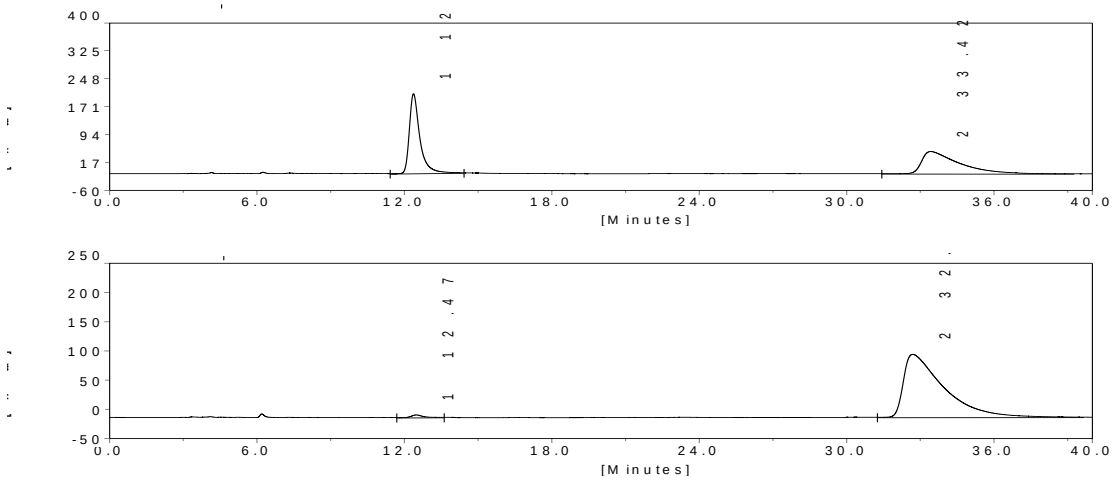
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.66 (d, *J*=8.3, 2H), 7.34 – 7.30 (m, 1H), 7.27 – 7.14 (m, 8H), 6.98 (t, *J*=7.6, 1H), 6.61 (d, *J*=7.9, 1H), 5.84 (d, *J*=10.3, 1H), 4.92 (d, *J*=15.7, 1H), 4.70 (d, *J*=12.6, 1H), 4.66 (d, *J*=7.2, 1H), 3.24 (s, 3H), 2.34 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 171.83, 168.26, 143.98, 142.52, 136.53, 134.58, 131.39, 129.57, 128.95, 127.97, 127.52, 127.32, 125.56, 124.85, 123.56, 110.21, 61.38, 60.82, 52.63, 44.40, 21.59.

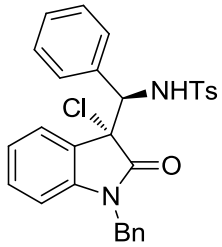
HRMS (ESI-TOF) calcd for $C_{25}H_{23}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 521.0914, Found 521.0921.

$[\alpha]^{25}_{D}$ = 25.7 (*c* = 0.94, in CH_2Cl_2).



	Retention Time	Area	% Area
1	12.47	121.33	0.9898
2	32.69	12136.43	99.0102

_N_-((_R_)-((_R_)-1-benzyl-3-chloro-2-oxoindolin-3-yl)(phenyl)methyl)-4-methylbenzenesulfonamide (2o):



2o

Prepared according to the general precedure. The tittle compound **2o** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 81% yield (reaction time: 24 h).

HPLC (Chiralcel IC, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 51.78 min, t_r (minor) = 41.45 min, *ee* = 98%.

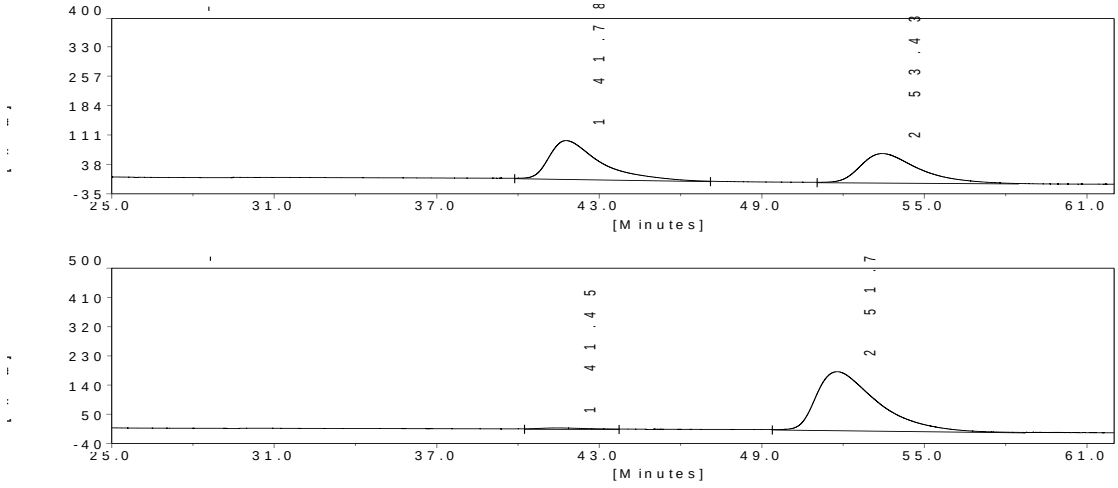
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.45 (d, *J*=8.1, 2H), 7.38 (d, *J*=6.6, 1H), 7.11 (d, *J*=6.5, 3H), 7.04 – 6.91 (m, 5H), 6.87 – 6.73 (m, 6H), 6.67 (d, *J*=8.5, 1H), 6.31 (d, *J*=7.6, 1H), 5.17 (d, *J*=8.6, 1H), 4.76 (d, *J*=15.9, 1H), 4.50 (d, *J*=15.9, 1H), 2.23 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 173.02, 142.88, 141.76, 137.81, 134.14, 134.11, 130.64, 129.12, 128.76, 128.38, 127.90, 127.73, 126.99, 126.96, 126.91, 124.97, 123.52, 110.07, 64.58, 63.24, 44.06, 21.44.

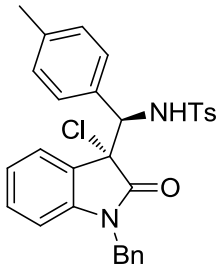
HRMS (ESI-TOF) calcd for $C_{29}H_{25}{}^{34.9689}ClN_2O_3S$ ([M]+Na^+) = 539.1172, Found 539.1174.

$[\alpha]^{25}_{D}$ = 31.2 (*c* = 1.60, in CH_2Cl_2).



	Retention Time	Area	% Area
1	41.45	381.27	1.0363
2	51.78	36409.56	98.9637

***N*-((1-benzyl-3-chloro-2-oxoindolin-3-yl)(p-tolyl)methyl)-4-methylbenzenesulfonamide (2p):**



2p

Prepared according to the general precedure. The tittle compound **2p** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 65% yield (reaction time: 24 h).

HPLC (Chiralcel IC, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 58.02 min, t_r (minor) = 46.79 min, *ee* = 97%.

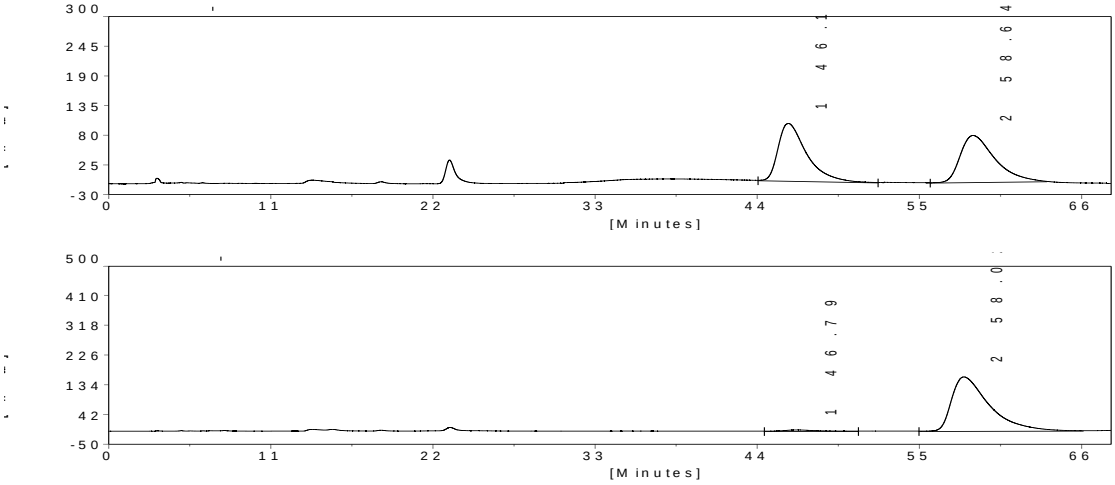
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.45 (d, *J*=7.8, 2H), 7.37 (d, *J*=6.6, 1H), 7.17 – 6.92 (m, 7H), 6.78 (d, *J*=6.7, 2H), 6.64 (dd, *J*=19.9, 7.0, 5H), 6.32 (d, *J*=7.2, 1H), 5.12 (d, *J*=8.5, 1H), 4.81 (d, *J*=15.9, 1H), 4.48 (d, *J*=15.9, 1H), 2.24 (s, 3H), 2.09 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 173.09, 142.79, 141.80, 137.89, 137.69, 134.18, 131.06, 130.56, 129.06, 128.65, 128.58, 128.30, 127.70, 127.11, 127.04, 126.95, 124.92, 123.47, 110.08, 64.59, 62.94, 44.05, 21.44, 21.04.

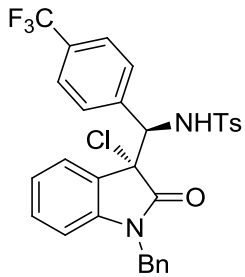
HRMS (ESI-TOF) calcd for $C_{30}H_{27}{}^{34.9689}ClN_2O_3S$ ([M]+Na^+) = 553.1329, Found 553.1326.

$[\alpha]^{25}_D$ = 44.8 (*c* = 0.40, in CH_2Cl_2).



	Retention Time	Area	% Area
1	46.79	463.33	1.5520
2	58.02	29389.87	98.4480

***N*-((1-benzyl-3-chloro-2-oxoindolin-3-yl)(4-(trifluoromethyl)phenyl)methyl)-4-methylbenzenesulfonamide (2q):**



2q

Prepared according to the general precedure. The tittle compound **2q** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 20 h).

HPLC (Chiralcel IC, hexane/ *i*-PrOH = 75/25, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 37.02 min, t_r (minor) = 33.47 min, *ee* = 98%.

d.r. >19:1 (by ^{1}H NMR).

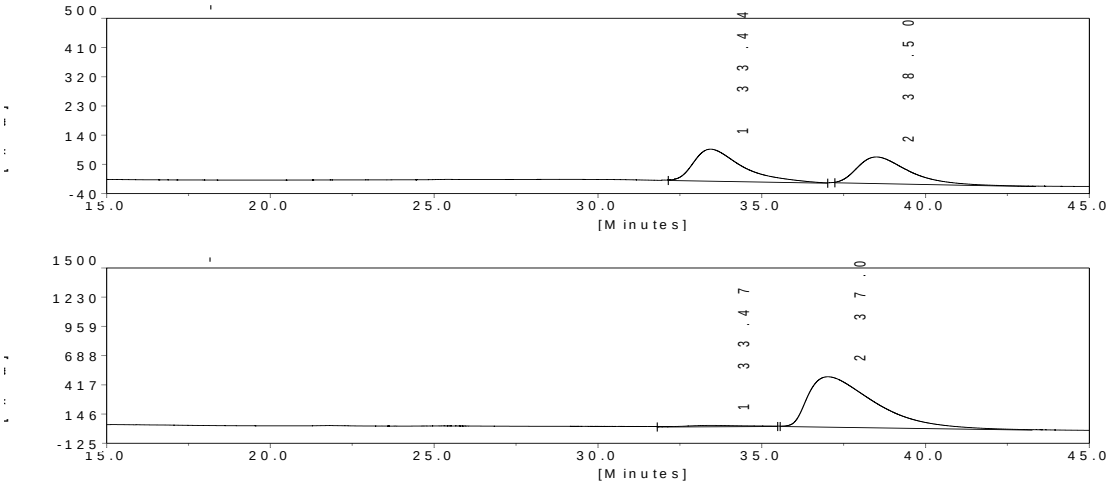
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.39 (d, *J*=7.6, 3H), 7.06 (ddd, *J*=28.5, 17.6, 8.4, 7H), 6.92 (d, *J*=7.9, 2H), 6.86 (d, *J*=7.8, 2H), 6.80 (d, *J*=7.0, 2H), 6.73 (d,

J=8.0, 1H), 6.38 (d, *J*=7.4, 1H), 5.20 (d, *J*=8.2, 1H), 4.80 (d, *J*=15.7, 1H), 4.46 (d, *J*=15.7, 1H), 2.20 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 171.61, 142.22, 140.68, 137.05, 136.38, 133.02, 129.99, 128.13, 127.91, 127.73, 126.92, 125.96, 125.90, 125.33, 123.87, 123.67, 123.63, 122.71, 109.19, 63.03, 61.75, 43.14, 20.22.

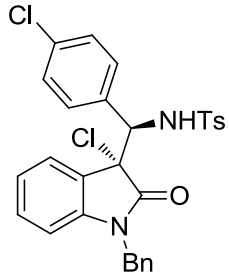
HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{34.9689}ClF_3N_2O_3S$ ([M]+Na^+) = 607.1046, Found 607.1049.

$[\alpha]^{25}_D$ = 43.5 (*c* = 1.03, in CH_2Cl_2).



	Retention Time	Area	% Area
1	33.47	799.25	1.2214
2	37.02	64638.09	98.7786

_N_-((1-benzyl-3-chloro-2-oxoindolin-3-yl)(4-chlorophenyl)methyl)-4-methylbenzenesulfonamide (2r):



2r

Prepared according to the general precedure. The tittle compound **2r** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 85% yield (reaction time: 20 h).

HPLC (Chiralcel IC, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 42.88 min, t_r (minor) = 36.74 min, *ee* = 99%.

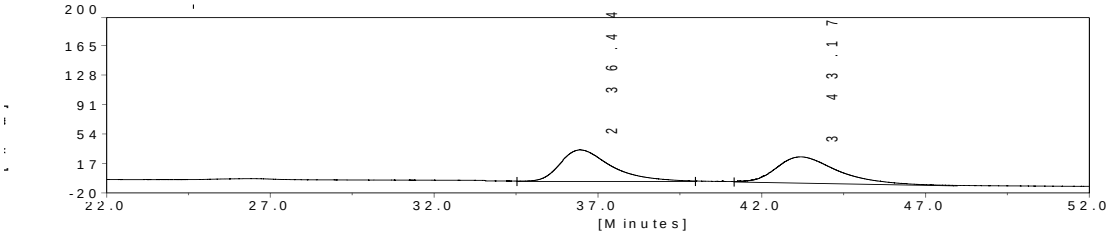
d.r. >19:1 (by ^{1}H NMR).

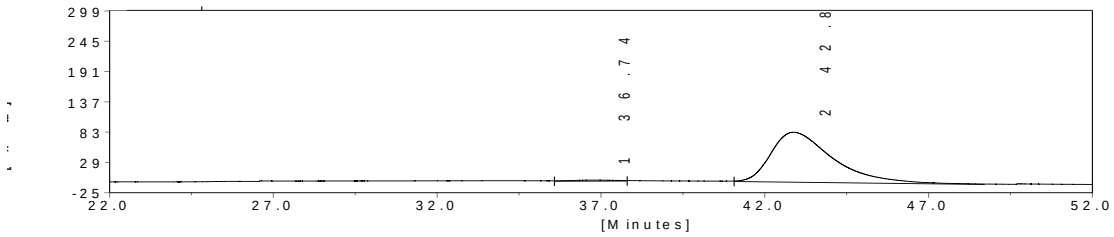
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.46 (d, *J*=7.9, 2H), 7.38 (d, *J*=7.1, 1H), 7.19 – 6.94 (m, 7H), 6.87 – 6.67 (m, 6H), 6.65 (d, *J*=8.2, 1H), 6.37 (d, *J*=7.5, 1H), 5.12 (d, *J*=8.3, 1H), 4.80 (d, *J*=15.9, 1H), 4.47 (d, *J*=15.8, 1H), 2.27 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 171.70, 142.19, 140.73, 136.61, 132.95, 131.71, 129.85, 128.71, 128.18, 127.74, 127.03, 126.85, 125.97, 125.87, 125.68, 123.77, 122.64, 109.19, 63.21, 61.52, 43.05, 20.42.

HRMS (ESI-TOF) calcd for $C_{29}H_{24}{}^{34.9689}Cl_2N_2O_3S$ ([M]+Na^+) = 573.0782, Found 573.0782.

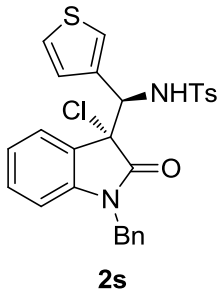
$[\alpha]^{25}_D$ = 26.9 (*c* = 0.41, in CH_2Cl_2).





	Retention Time	Area	% Area
1	36.74	71.15	0.6215
2	42.88	11378.54	99.3785

_N_-((1-benzyl-3-chloro-2-oxoindolin-3-yl)(thiophen-3-yl)methyl)-4-methylbenzenesulfonamide (2s):



2s

Prepared according to the general precedure. The tittle compound **2s** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 67% yield (reaction time: 24 h).

HPLC (Chiralcel IC, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 57.39 min, t_r (minor) = 49.46 min, *ee* = 97%.

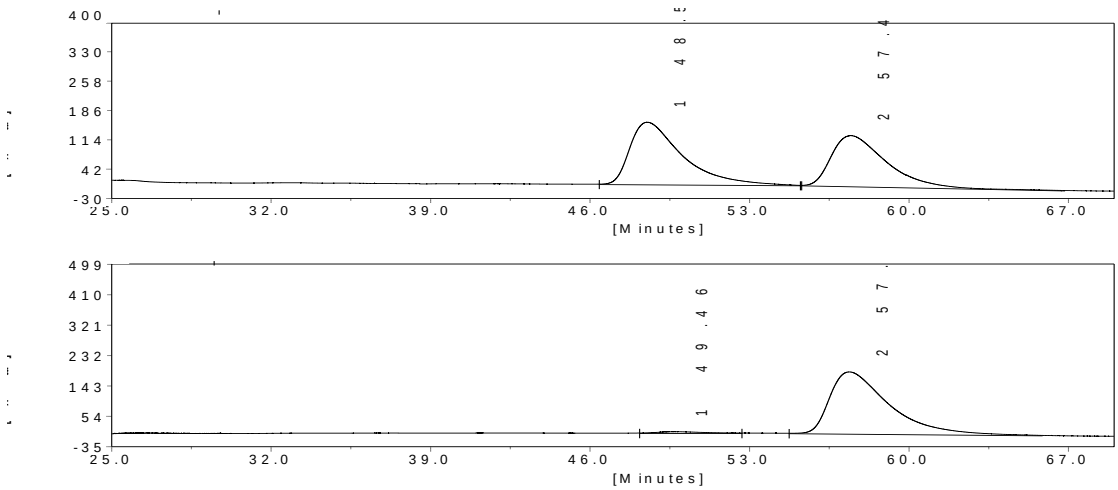
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.49 (d, *J*=8.0, 2H), 7.37 (d, *J*=7.2, 1H), 7.18 – 6.97 (m, 7H), 6.89 – 6.72 (m, 3H), 6.66 (s, 1H), 6.50 (d, *J*=8.7, 1H), 6.39 (d, *J*=7.7, 1H), 6.35 (d, *J*=4.7, 1H), 5.29 (d, *J*=8.9, 1H), 4.79 (d, *J*=15.9, 1H), 4.51 (d, *J*=15.8, 1H), 2.27 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 173.10, 142.96, 142.02, 137.95, 135.59, 134.18, 130.76, 129.20, 128.83, 127.76, 127.20, 126.89, 126.87, 126.81, 125.28, 124.80, 124.60, 123.63, 110.17, 64.09, 59.29, 44.07, 21.49.

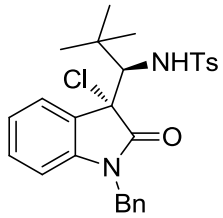
HRMS (ESI-TOF) calcd for $C_{27}H_{23}{}^{34.9689}ClN_2O_3S_2$ ([M]+Na^+) = 545.0736, Found 545.0739.

$[\alpha]^{25}_D$ = 58.7 (*c* = 0.26, in CH_2Cl_2).



	Retention Time	Area	% Area
1	49.46	483.07	1.4668
2	57.39	32450.29	98.5332

***N*-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2,2-dimethylpropyl)-4-methylbenzenesulfonamide (2t):**



2t

Prepared according to the general precedure. The tittle compound **2t** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 86% yield (reaction time: 20 h).

HPLC (Chiralcel IC, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 36.68 min, t_r (minor) = 32.49 min, *ee* = 96%.

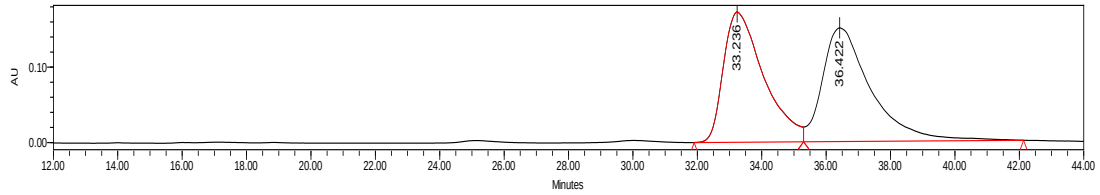
d.r. >19:1 (by ^{1}H NMR).

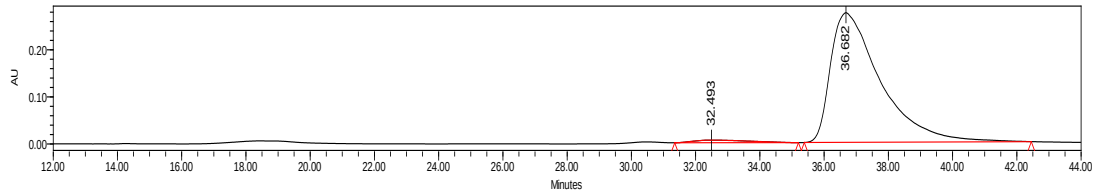
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83 (d, *J*=8.2, 2H), 7.43 (d, *J*=7.5, 1H), 7.34 – 7.19 (m, 8H), 7.07 (t, *J*=7.6, 1H), 6.78 (d, *J*=7.9, 1H), 6.68 (d, *J*=9.0, 1H), 5.01 (d, *J*=15.5, 1H), 4.73 (d, *J*=15.5, 1H), 4.32 (d, *J*=9.0, 1H), 2.39 (s, 3H), 0.67 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.38, 142.67, 139.62, 134.61, 134.44, 129.21, 129.18, 128.79, 126.99, 67.98, 51.16, 36.68, 28.17, 21.49.

HRMS (ESI-TOF) calcd for $C_{27}H_{29}{}^{34.9689}ClN_2O_3S$ ([M]+Na^+) = 519.1485, Found 519.1483.

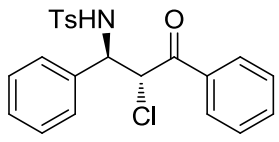
$[\alpha]^{25}_D$ = -5.8 (*c* = 1.01, in CH_2Cl_2).





	Retention Time	Area	% Area
1	32.493	658211	2.17
2	36.682	29689800	97.83

***N*-(2-chloro-3-oxo-1,3-diphenylpropyl)-4-methylbenzenesulfonamide (4a):**



4a

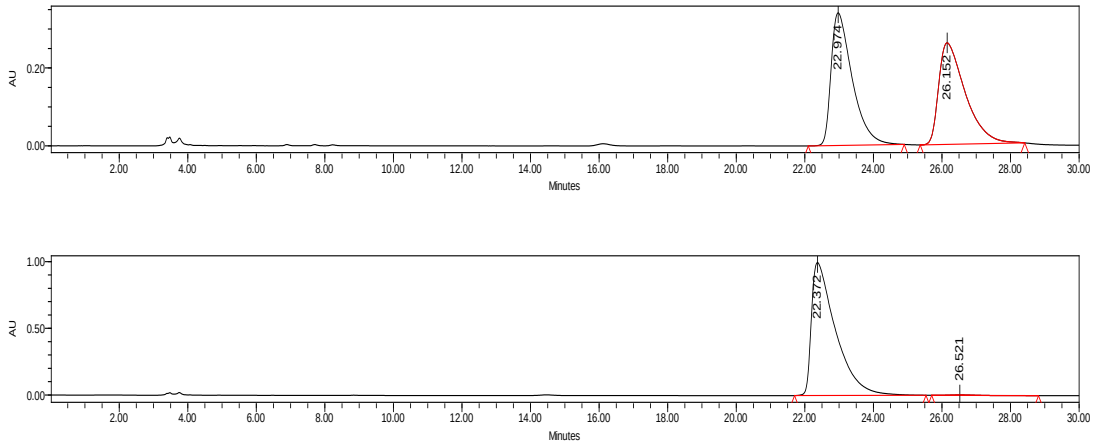
Prepared according to the general precedure. The tittle compound **4a** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 20 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 22.37 min, t_r (minor) = 26.52 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

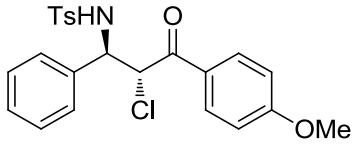
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.77 (d, *J*=7.6, 2H), 7.60 –7.52 (m, 3H), 7.39 (t, *J*=7.4, 2H), 7.13 (s, 5H), 7.09 (d, *J*=7.8, 2H), 6.55 (d, *J*=8.8, 1H), 5.44 (d, *J*=4.1, 1H), 5.11 (dd, *J*=7.4, 4.1, 1H), 2.32 (s, 3H).

$[\alpha]^{25}_D$ = -78.5 (*c* = 0.84, in CH_2Cl_2).



	Retention Time	Area	% Area
1	22.372	48783220	99.66
2	26.521	168440	0.34

***N*-(2-chloro-3-(4-methoxyphenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4b):**



4b

Prepared according to the general precedure. The tittle compound **4b** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 92% yield (reaction time: 20 h).

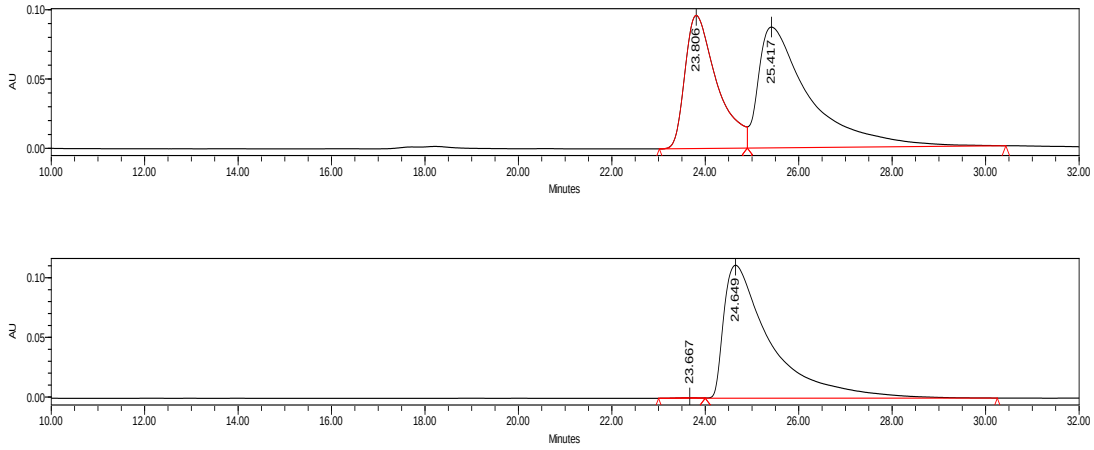
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 24.65 min, t_r (minor) = 23.67 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.75 (d, *J*=8.8, 2H), 7.57 (d, *J*=8.2, 2H), 7.20 – 6.98 (m, 7H), 6.83 (d, *J*=8.8, 2H), 6.69 (d, *J*=8.9, 1H), 5.39 (d, *J*=5.0, 1H), 5.09 (dd, *J*=8.9, 5.0, 1H), 3.80 (s, 3H), 2.31 (s, 3H).

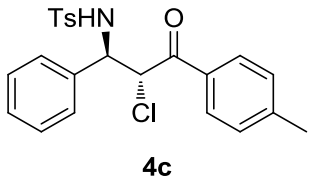
^{13}C NMR (101 MHz, $CDCl_3$) δ = 191.75, 164.51, 143.05, 137.71, 136.42, 131.35, 129.22, 128.46, 128.09, 127.42, 127.32, 127.09, 114.11, 60.68, 57.02, 55.61, 21.44.

$[\alpha]^{25}_D$ = -83.4 (*c* = 1.59, in CH_2Cl_2).



	Retention Time	Area	% Area
1	23.667	13512	0.17
2	24.649	7807942	99.83

***N*-(2-chloro-3-oxo-1-phenyl-3-(p-tolyl)propyl)-4-methylbenzenesulfonamide (4c):**



4c

Prepared according to the general precedure. The tittle compound **4c** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 20 h).

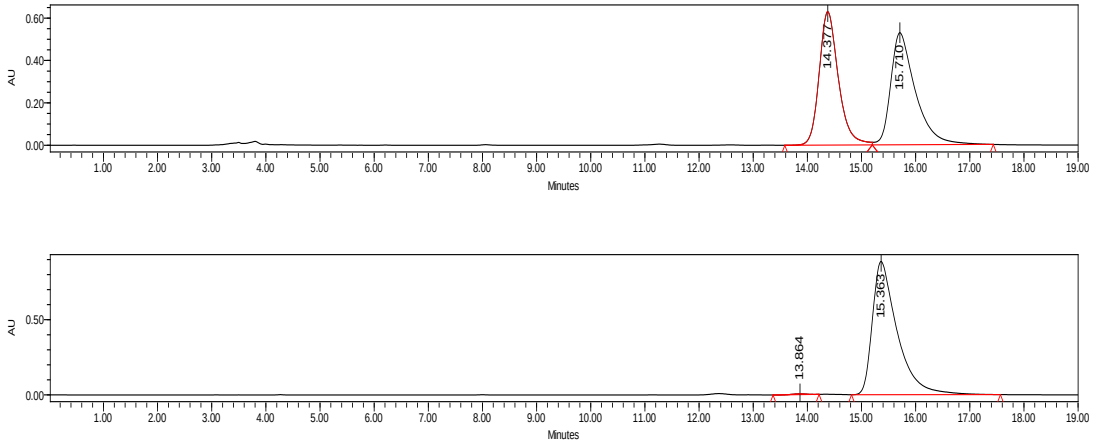
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.36 min, t_r (minor) = 13.86 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.67 (d, *J*=8.2, 2H), 7.57 (d, *J*=8.2, 2H), 7.20 – 7.02 (m, 9H), 6.64 (d, *J*=9.0, 1H), 5.42 (d, *J*=5.1, 1H), 5.11 (dd, *J*=9.0, 5.1, 1H), 2.34 (s, 3H), 2.31 (s, 3H).

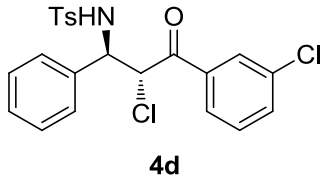
^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.88, 145.54, 143.08, 137.68, 136.29, 131.96, 129.56, 129.24, 128.99, 128.47, 128.12, 127.44, 127.10, 60.52, 57.42, 21.74, 21.45.

$[\alpha]^{25}_D$ = -68.7 (*c* = 1.85, in CH_2Cl_2).



	Retention Time	Area	% Area
1	13.864	92135	0.33
2	15.363	28248201	99.67

***N*-(2-chloro-3-(3-chlorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4d):**



4d

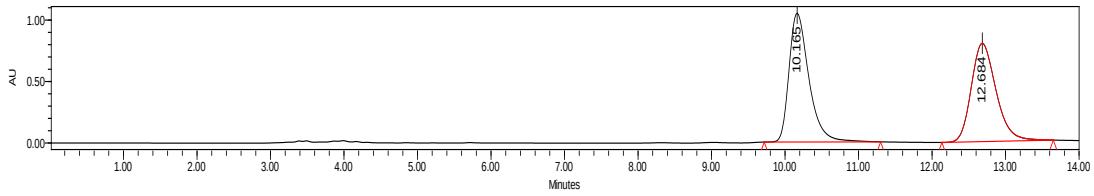
Prepared according to the general precedure. The tittle compound **4d** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 20 h).

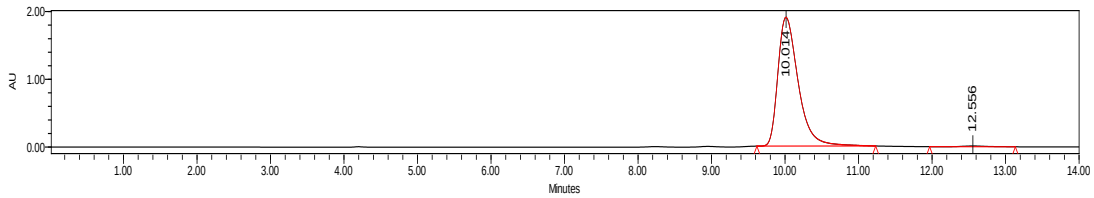
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 10.01 min, t_r (minor) = 12.56 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.72 (t, *J*=1.8, 1H), 7.66 (ddd, *J*=7.8, 1.6, 1.1, 1H), 7.61 – 7.55 (m, 2H), 7.51 (ddd, *J*=8.0, 2.1, 1.0, 1H), 7.34 (t, *J*=7.9, 1H), 7.20 – 7.12 (m, 5H), 7.10 (d, *J*=8.0, 2H), 6.38 (d, *J*=9.0, 1H), 5.36 (d, *J*=5.3, 1H), 5.10 (dd, *J*=9.0, 5.3, 1H), 2.34 (s, 3H).

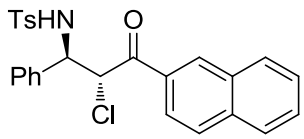
$[\alpha]^{25}_D$ = -64.9 (*c* = 1.39, in CH_2Cl_2).





	Retention Time	Area	% Area
1	10.014	36437140	99.25
2	12.556	276650	0.75

***N*-(2-chloro-3-(naphthalen-2-yl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4e):**



4e

Prepared according to the general precedure. The tittle compound **4e** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 20 h).

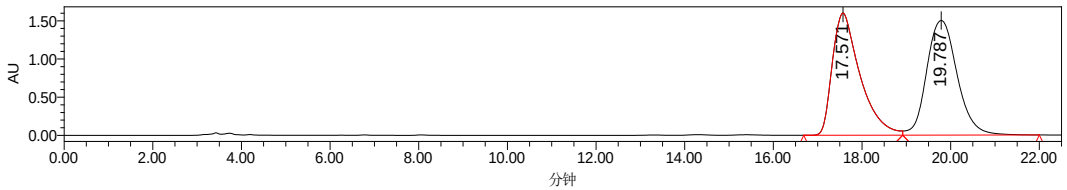
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 17.61 min, t_r (minor) = 19.98 min, *ee* = 99%.

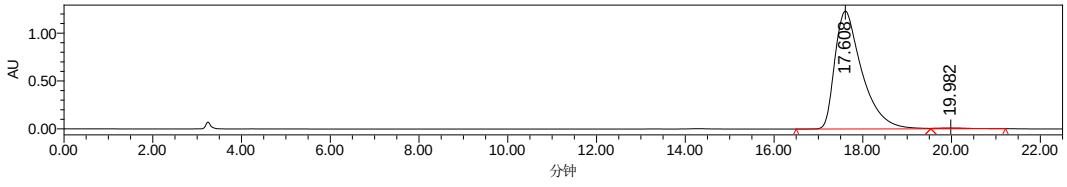
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.28 (s, 1H), 7.86 (d, *J*=8.1, 1H), 7.80 (d, *J*=6.9, 3H), 7.62 – 7.55 (m, 3H), 7.51 (t, *J*=7.5, 1H), 7.21 – 7.15 (m, 2H), 7.13 – 7.08 (m,3H), 7.03 (d, *J*=8.1, 2H), 6.62 (d, *J*=9.0, 1H), 5.61 (d, *J*=5.2, 1H), 5.18 (dd, *J*=9.0, 5.2, 1H), 2.28 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.14, 143.11, 137.59, 136.27, 135.95, 132.24, 131.77, 131.09, 129.86, 129.31, 129.25, 128.80, 128.52, 128.21, 127.76, 127.48, 127.12, 127.09, 123.87, 60.56, 57.79, 21.43.

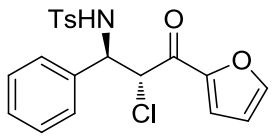
$[\alpha]^{25}_D$ = -48.2 (*c* = 1.91, in CH_2Cl_2).





	Retention Time	Area	% Area
1	17.608	52086129	99.34
2	19.982	347160	0.66

***N*-(2-chloro-3-(furan-2-yl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4f):**



4f

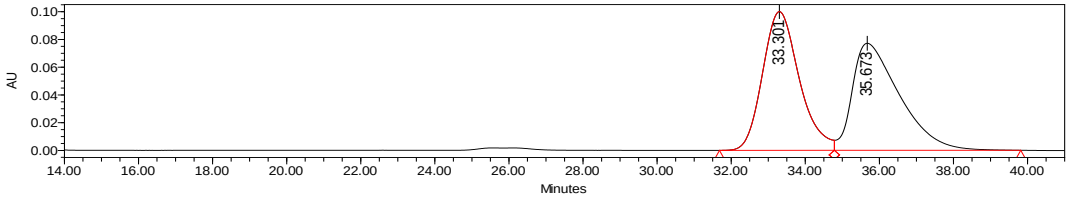
Prepared according to the general precedure. The tittle compound **4f** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 20 h).

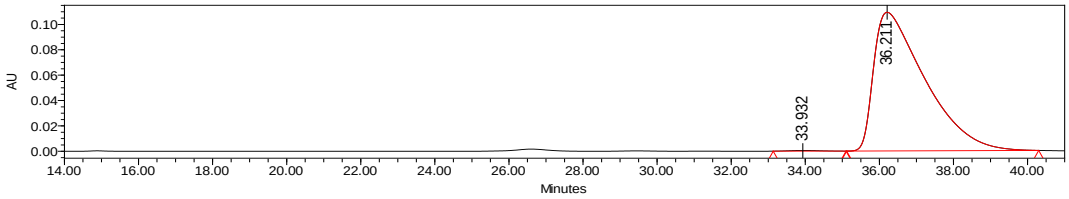
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 36.21 min, t_r (minor) = 33.93 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, DMSO) δ = 8.58 (d, *J*=9.8, 1H), 8.23 (s, 1H), 7.86 (d, *J*=3.5, 1H), 7.34 (d, *J*=8.0, 2H), 7.27 (d, *J*=3.2, 2H), 7.19 (d, *J*=4.9, 3H), 7.09 (d, *J*=8.1, 2H), 6.97 – 6.84 (m, 1H), 5.37 (d, *J*=10.1, 1H), 4.90 (t, *J*=9.9, 1H), 2.30 (s, 3H).

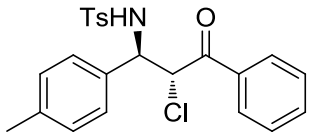
$[\alpha]^{25}_D$ = -69.2 (*c* = 0.89, in CH_2Cl_2).





	Retention Time	Area	% Area
1	33.932	29541	0.28
2	36.211	10509226	99.72

***N*-(2-chloro-3-oxo-3-phenyl-1-(p-tolyl)propyl)-4-methylbenzenesulfonamide (4g):**



4g

Prepared according to the general precedure. The tittle compound **4g** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 20 h).

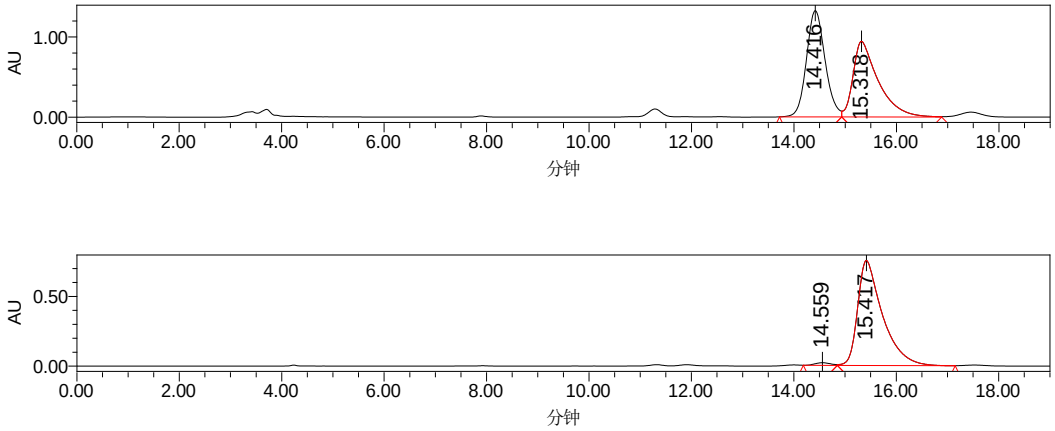
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.42 min, t_r (minor) = 14.56 min, *ee* = 97%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.8, 2H), 7.62 – 7.51 (m, 3H), 7.40 (t, *J*=7.7, 2H), 7.10 (d, *J*=8.0, 2H), 7.01 (d, *J*=8.0, 2H), 6.93 (d, *J*=7.9, 2H), 6.45 (d, *J*=8.9, 1H), 5.43 (d, *J*=4.9, 1H), 5.07 (dd, *J*=8.9, 4.9, 1H), 2.33 (s, 3H), 2.21 (s, 3H).

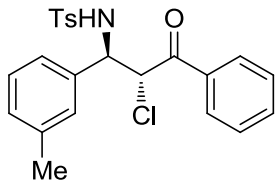
^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.28, 143.07, 138.03, 137.69, 134.49, 134.26, 133.13, 129.23, 129.17, 128.84, 127.30, 127.14, 60.22, 57.77, 21.45, 21.00.

$[\alpha]^{25}_D$ = -61.6 (*c* = 1.63, in CH_2Cl_2).



	Retention Time	Area	% Area
1	14.559	394068	1.53
2	15.417	25317357	98.47

_N_-(2-chloro-3-oxo-3-phenyl-1-(m-tolyl)propyl)-4-methylbenzenesulfonamide (4h):



4h

Prepared according to the general precedure. The tittle compound **4h** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 20 h).

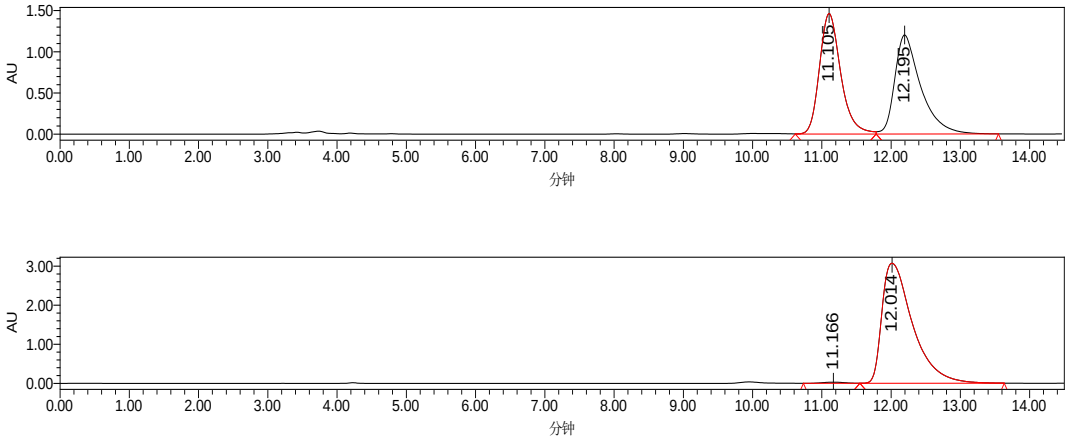
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.01 min, t_r (minor) = 11.17 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.85 – 7.73 (m, 2H), 7.57 (d, *J*=8.3, 2H), 7.53 (d, *J*=7.4, 1H), 7.39 (t, *J*=7.8, 2H), 7.08 (d, *J*=8.2, 2H), 7.02 (t, *J*=7.6, 1H), 6.93 (t, *J*=8.2, 2H), 6.86 (s, 1H), 6.49 (d, *J*=9.0, 1H), 5.42 (d, *J*=5.0, 1H), 5.07 (dd, *J*=9.0, 5.0, 1H), 2.32 (s, 3H), 2.14 (s, 3H).

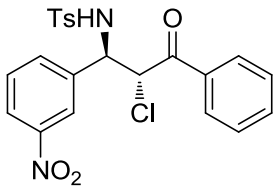
^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.33, 143.03, 138.15, 137.69, 135.95, 134.54, 134.25, 129.17, 128.91, 128.83, 128.40, 128.11, 127.10, 124.48, 60.51, 57.45, 21.42, 21.21.

$[\alpha]^{25}_D$ = -68.0 (*c* = 1.65, in CH_2Cl_2).



	Retention Time	Area	% Area
1	11.166	614298	0.65
2	12.014	94462319	99.35

***N*-((1*R*,2*R*)-2-chloro-1-(3-nitrophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (4i):**



4i

Prepared according to the general precedure. The tittle compound **4i** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 91% yield (reaction time: 20 h).

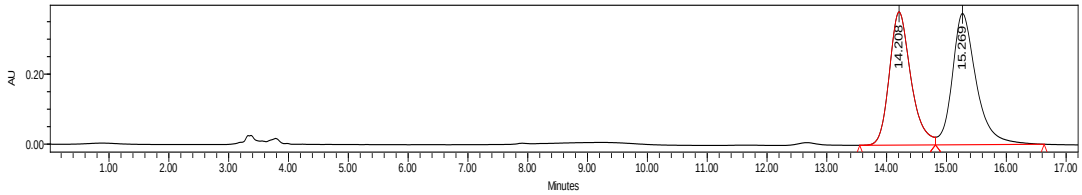
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.56 min, t_r (minor) = 14.45 min, *ee* = 95%.

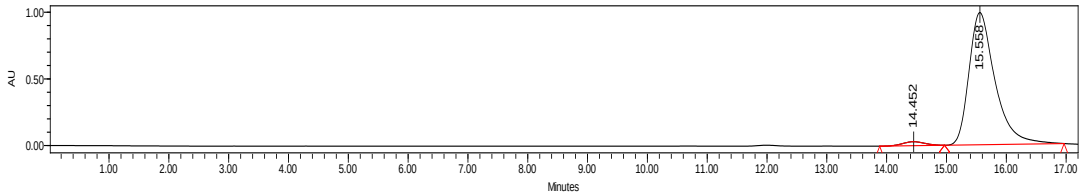
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.03 – 7.95 (m, 2H), 7.83 (d, *J*=8.0, 2H), 7.63 – 7.54 (m, 4H), 7.43 (t, *J*=7.7, 2H), 7.37 (t, *J*=7.9, 1H), 7.10 (d, *J*=8.1, 2H), 6.57 (d, *J*=8.8, 1H), 5.48 (d, *J*=5.4, 1H), 5.22 (dd, *J*=8.8, 5.4, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.38, 147.99, 143.70, 138.30, 137.14, 134.69, 134.00, 133.92, 129.51, 129.47, 129.02, 128.98, 127.06, 123.13, 122.79, 59.50, 57.28, 21.40.

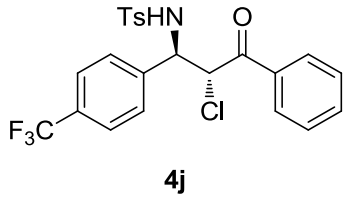
$[\alpha]^{25}_D$ = -75.9 (*c* = 1.60, in CH_2Cl_2). Known (1*R*,2*R*)-**4i**: 99% *ee*, $[\alpha]^{25}_D$ = -84.2 (*c* = 0.48, in CH_2Cl_2). Reference: Y. F. Cai, X. H. Liu, J. Jiang, W. L. Chen, L. L. Lin, X. M. Feng, *J. Am. Chem. Soc.* **2011**, *133*, 5636–5639.





	Retention Time	Area	% Area
1	14.452	768566	2.51
2	15.558	29911198	97.49

***N*-(2-chloro-3-oxo-3-phenyl-1-(4-(trifluoromethyl)phenyl)propyl)-4-methylbenzenesulfonamide (4j):**



4j

Prepared according to the general precedure. The tittle compound **4j** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 93% yield (reaction time: 20 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 29.67 min, t_r (minor) = 32.88 min, *ee* = 99%.

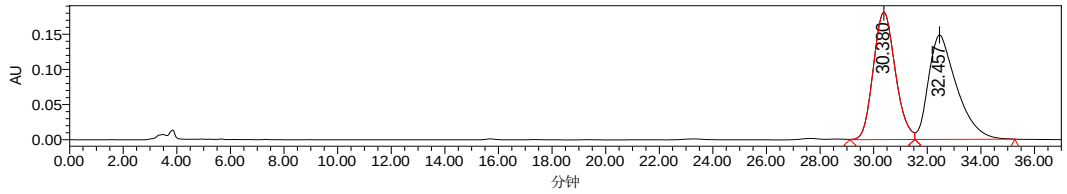
d.r. >19:1 (by ^{1}H NMR).

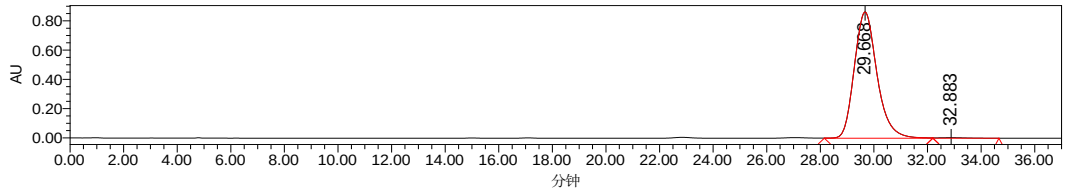
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (d, *J*=7.8, 2H), 7.55 (t, *J*=7.4, 1H), 7.51 (d, *J*=8.1, 2H), 7.40 (t, *J*=7.7, 2H), 7.34 (d, *J*=8.2, 2H), 7.30 – 7.26 (m, 2H), 7.03 (d, *J*=8.0, 2H), 6.69 (d, *J*=9.2, 1H), 5.45 (d, *J*=5.7, 1H), 5.19 (dd, *J*=9.1, 5.7, 1H), 2.30 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.56, 143.52, 140.05, 137.19, 134.50, 134.10, 130.25 (q, *J*=32.6), 129.31, 128.93, 128.25, 127.04, 125.30 (q, *J*=3.6), 59.83, 57.13, 21.28.

HRMS (ESI-TOF) calcd for $C_{23}H_{19}{}^{34.9689}ClF_3NO_3S$ ([M]+Na^+) = 504.0624, Found 504.0626.

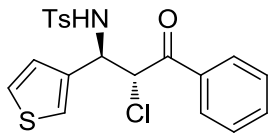
$[\alpha]^{25}_D$ = -57.9 (*c* = 1.80, in CH_2Cl_2).





	Retention Time	Area	% Area
1	29.668	50727021	99.49
2	32.883	260957	0.51

***N*-(2-chloro-3-oxo-3-phenyl-1-(thiophen-3-yl)propyl)-4-methylbenzenesulfonamide (4k):**



4k

Prepared according to the general precedure. The tittle compound **4k** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 20 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 14.54 min, t_r (minor) = 12.53 min, *ee* = 99%.

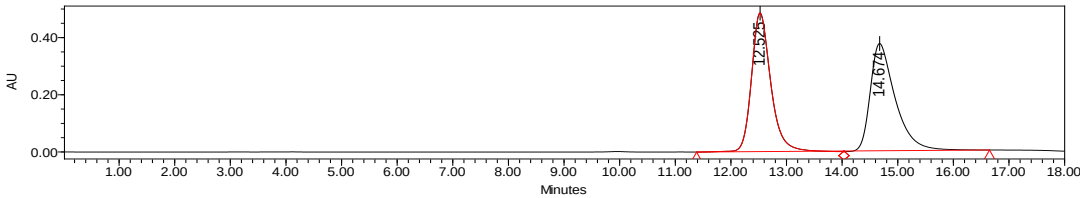
d.r. >19:1 (by ^{1}H NMR).

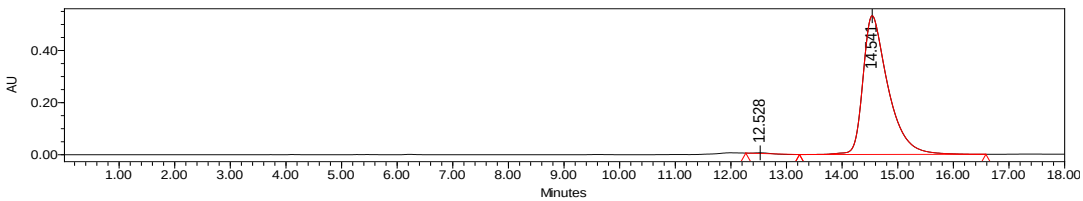
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.76 (d, *J*=7.8, 2H), 7.52 (dd, *J*=13.7, 7.4, 3H), 7.37 (t, *J*=7.4, 2H), 7.07 (d, *J*=7.8, 2H), 7.00 (d, *J*=3.0, 1H), 6.93 (s, 1H), 6.74 (d, *J*=4.9, 1H), 6.28 (d, *J*=9.1, 1H), 5.40 (d, *J*=3.9, 1H), 5.15 (dd, *J*=8.8, 4.2, 1H), 2.28 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.20, 143.24, 137.70, 137.11, 134.37, 134.32, 129.35, 128.93, 128.89, 127.00, 126.31, 123.90, 58.02, 56.51, 21.51.

HRMS (ESI-TOF) calcd for $C_{20}H_{18}{}^{34.9689}ClNO_3S_2$ ([M]+Na^+) = 442.0314, Found 442.0318.

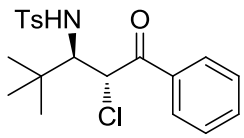
$[\alpha]^{25}_D$ = -62.1 (*c* = 0.40, in CH_2Cl_2).





	Retention Time	Area	% Area
1	12.528	56452	0.34
2	14.541	16624288	99.66

***N*-(2-chloro-4,4-dimethyl-1-oxo-1-phenylpentan-3-yl)-4-methylbenzenesulfonamide (4l):**



4l

Prepared according to the general precedure. The tittle compound **4l** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 92% yield (reaction time: 20 h).

HPLC (Chiralcel ODH, hexane/ *i*-PrOH = 95/5, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.89 min, t_r (minor) = 17.58 min, *ee* = 99%.

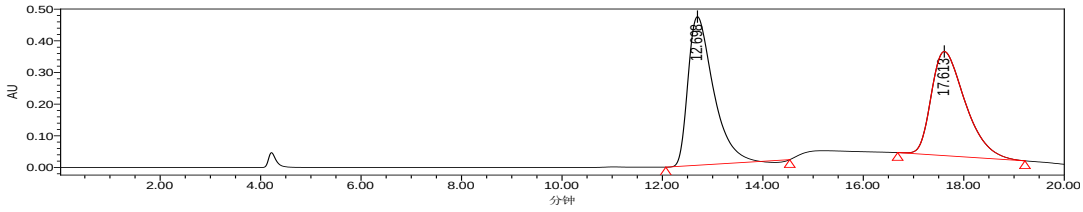
d.r. >19:1 (by ^{1}H NMR).

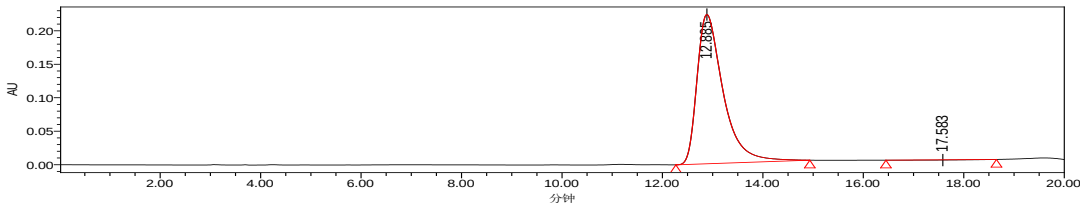
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.84 (d, *J*=7.7, 2H), 7.73 (d, *J*=8.0, 2H), 7.56 (t, *J*=7.3, 1H), 7.42 (t, *J*=7.6, 2H), 7.17 (d, *J*=8.0, 2H), 6.85 (d, *J*=9.0, 1H), 5.28 (d, *J*=1.5, 1H), 3.83 (dd, *J*=9.0, 1.6, 1H), 2.30 (s, 3H), 0.86 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.38, 142.67, 139.62, 134.61, 134.44, 129.21, 129.18, 128.79, 126.99, 67.98, 51.16, 36.68, 28.17, 21.49.

$[\alpha]^{25}_D$ = -11.8 (*c* = 0.72, in CH_2Cl_2).

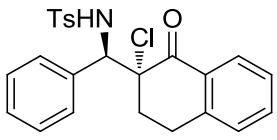
HRMS (ESI-TOF) calcd for $C_{20}H_{24}{}^{34.9689}ClNO_3S$ ([M]+Na^+) = 416.1063, Found 416.1062.





	Retention Time	Area	% Area
1	12.885	8054929	99.61
2	17.583	31895	0.39

***N*-(2-chloro-1-oxo-1,2,3,4-tetrahydronaphthalen-2-yl)(phenyl)methyl-4-methylbenzenesulfonamide (4m):**



4m

Prepared according to the general precedure. The tittle compound **4m** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 75% yield (reaction time: 20 h).

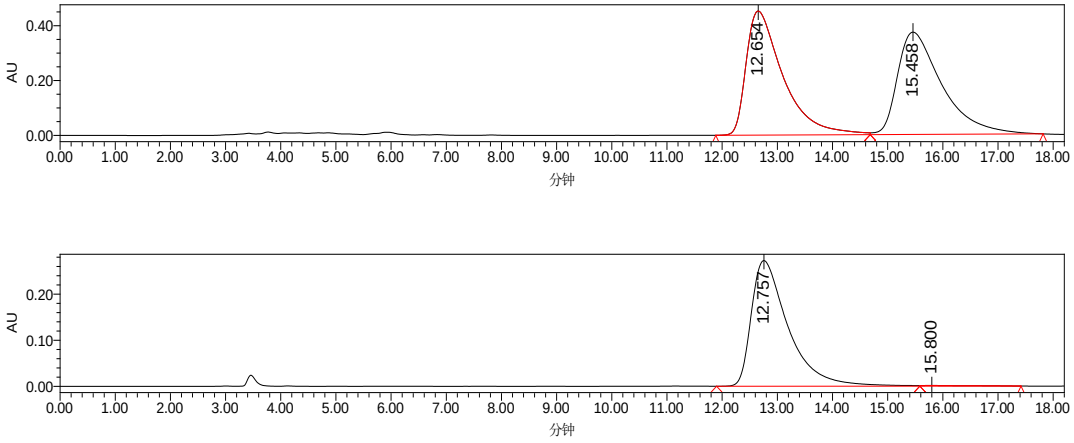
HPLC (Chiralcel ODH, hexane/ *i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.76 min, t_r (minor) = 15.80 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.99 (dd, *J*=7.9, 0.8, 1H), 7.53 – 7.39 (m, 3H), 7.31 (t, *J*=7.6, 1H), 7.19 (d, *J*=7.7, 1H), 7.14 – 7.02 (m, 5H), 7.00 – 6.89 (m, 3H), 4.89 (d, *J*=9.7, 1H), 3.38 – 3.28 (m, 1H), 2.92 (dt, *J*=17.3, 4.2, 1H), 2.36 – 2.18 (m, 5H).

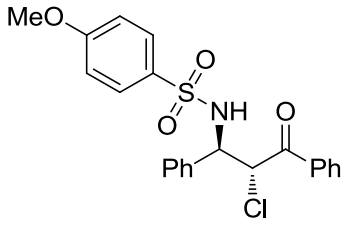
^{13}C NMR (101 MHz, $CDCl_3$) δ = 191.52, 142.63, 142.60, 137.94, 136.07, 134.49, 130.25, 128.99, 128.89, 128.75, 128.70, 128.29, 128.04, 127.16, 126.90, 71.55, 65.55, 35.14, 25.86, 21.36.

$[\alpha]^{25}_D$ = -58.5 (*c* = 0.72, in CH_2Cl_2).



	Retention Time	Area	% Area
1	12.757	12647068	99.34
2	15.800	84335	0.66

_N_-(2-chloro-3-oxo-1,3-diphenylpropyl)-4-methoxybenzenesulfonamide (4n):



4n

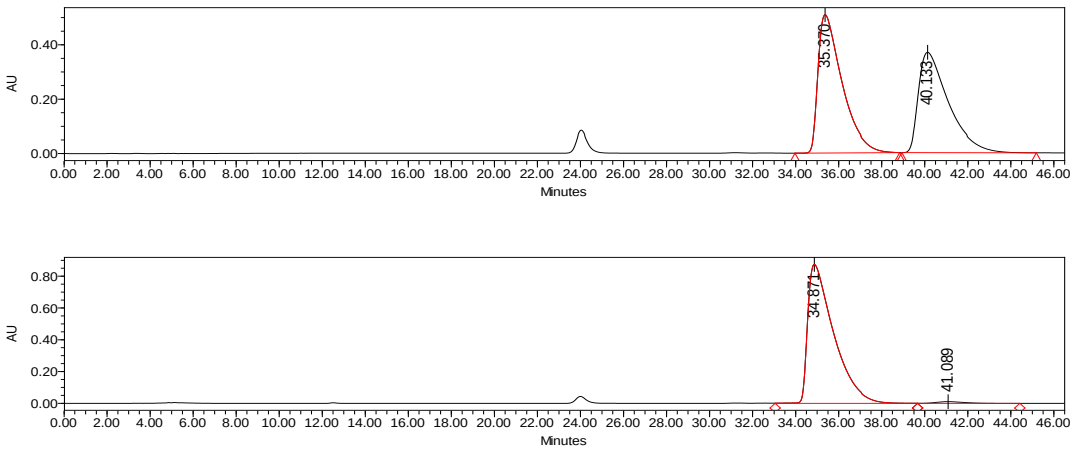
Prepared according to the general precedure. The tittle compound **4n** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 10 h). HPLC (Chiralcel ID, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 34.87 min, t_r (minor) = 41.09 min, *ee* = 97%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.5, 2H), 7.63 (d, *J*=8.8, 2H), 7.55 (t, *J*=7.4, 1H), 7.40 (t, *J*=7.7, 2H), 7.14 (s, 5H), 6.76 (d, *J*=8.8, 2H), 6.50 (d, *J*=9.0, 1H), 5.44 (d, *J*=4.9, 1H), 5.09 (dd, *J*=9.0, 4.9, 1H), 3.79 (s, 3H).

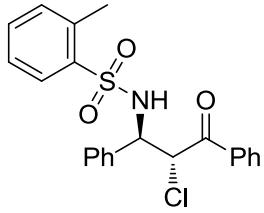
^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.20, 161.60, 135.09, 133.36, 133.29, 131.14, 128.17, 127.82, 127.79, 127.51, 127.19, 126.35, 112.75, 59.38, 56.42, 54.51.

$[\alpha]^{25}_D$ = -64.7 (*c* = 0.73, in CH_2Cl_2).



	Retention Time	Area	% Area
1	34.871	73374132	98.64
2	41.089	1013974	1.36

***N*-(2-chloro-3-oxo-1,3-diphenylpropyl)-2-methylbenzenesulfonamide (4o):**



4o

Prepared according to the general precedure. The tittle compound **4o** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 10 h).

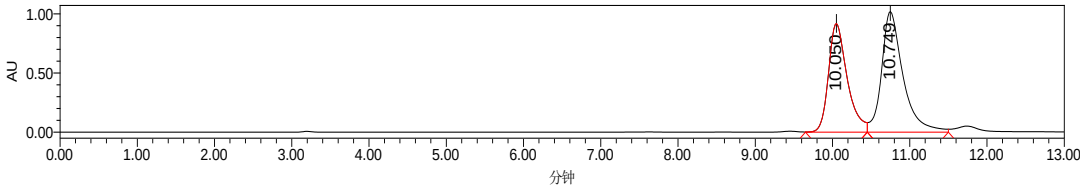
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 10.71 min, t_r (minor) = 10.16 min, *ee* = 99%.

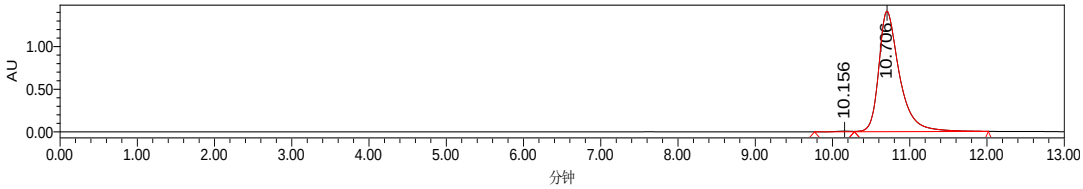
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.86 (d, *J*=7.9, 1H), 7.77 (d, *J*=7.5, 2H), 7.55 (t, *J*=7.4, 1H), 7.44 – 7.34 (m, 3H), 7.22 – 7.10 (m, 7H), 6.67 (d, *J*=8.9, 1H), 5.43 (d, *J*=4.8, 1H), 5.01 (dd, *J*=8.9, 4.8, 1H), 2.60 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.51, 137.31, 136.04, 135.26, 133.39, 133.30, 131.58, 131.22, 128.15, 127.85, 127.78, 127.55, 127.33, 126.15, 124.83, 59.49, 55.96, 19.32.

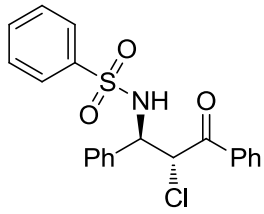
$[\alpha]^{25}_D$ = -70.8 (*c* = 1.02, in CH_2Cl_2).





	Retention Time	Area	% Area
1	10.156	100280	0.38
2	10.706	26211700	99.62

***N*-(2-chloro-3-oxo-1,3-diphenylpropyl)benzenesulfonamide (4p):**



4p

Prepared according to the general precedure. The tittle compound **4p** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 93% yield (reaction time: 10 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 16.93 min, t_r (minor) = 19.46 min, *ee* = 99%.

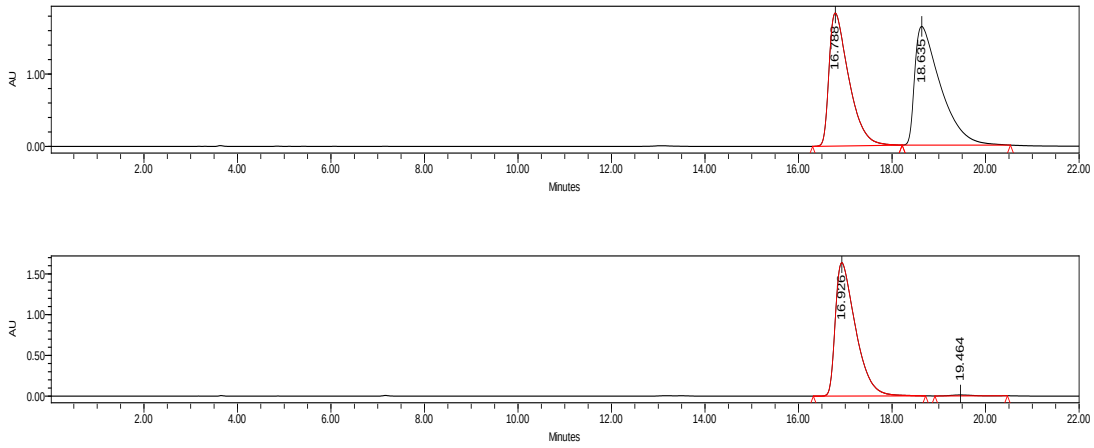
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.7, 2H), 7.71 (d, *J*=7.7, 2H), 7.55 (t, *J*=7.1, 1H), 7.41 (q, *J*=7.5, 3H), 7.29 (dd, *J*=15.6, 8.4, 2H), 7.13 (s, 5H), 6.65 (d, *J*=8.9, 1H), 5.44 (d, *J*=4.1, 1H), 5.19 – 5.08 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.38, 140.56, 136.01, 134.38, 132.39, 128.88, 128.85, 128.68, 128.58, 128.29, 127.35, 127.02, 60.59, 57.14.

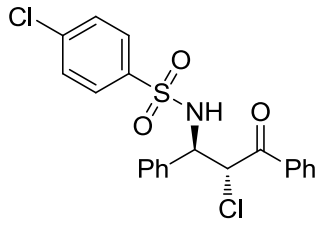
HRMS (ESI-TOF) calcd for $C_{21}H_{18}{}^{34.9689}ClNO_3S$ ([M]+Na^+) = 422.0594, Found 422.0595.

$[\alpha]^{25}_D$ = -78.3 (*c* = 0.58, in CH_2Cl_2).



	Retention Time	Area	% Area
1	16.926	49013030	99.32
2	19.464	333189	0.68

4-chloro-*N*-(2-chloro-3-oxo-1,3-diphenylpropyl)benzenesulfonamide (4q):



4q

Prepared according to the general precedure. The tittle compound **4q** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 10 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 22.42 min, t_r (minor) = 25.81 min, *ee* = 96%.

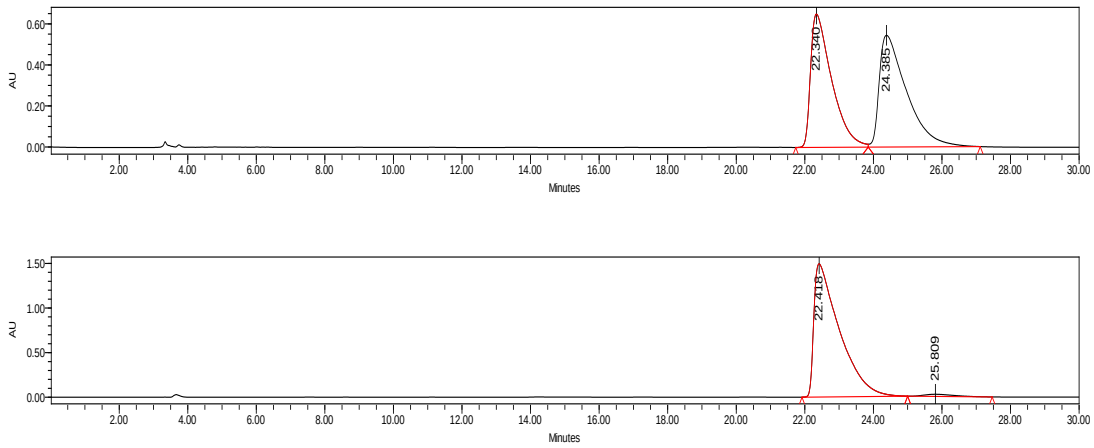
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=7.6, 2H), 7.60 (d, *J*=7.6, 2H), 7.55 (t, *J*=7.4, 1H), 7.39 (t, *J*=7.3, 2H), 7.23 (d, *J*=7.7, 2H), 7.15 (s, 5H), 6.78 (d, *J*=9.0, 1H), 5.42 (d, *J*=4.6, 1H), 5.19 – 5.10 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.40, 139.15, 138.75, 135.94, 134.48, 134.27, 128.90, 128.87, 128.67, 128.50, 128.40, 127.41, 60.72, 56.60.

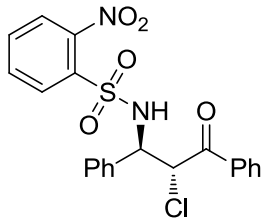
HRMS (ESI-TOF) calcd for $C_{21}H_{17}{}^{34.9689}C_{l2}NO_3S$ ([M]+Na^+) = 456.0204, Found 456.0202.

$[\alpha]^{25}_D$ = -64.2 (*c* = 0.25, in CH_2Cl_2).



	Retention Time	Area	% Area
1	22.418	77113311	98.17
2	25.809	1439239	1.83

***N*-(2-chloro-3-oxo-1,3-diphenylpropyl)-2-nitrobenzenesulfonamide (4r):**



4r

Prepared according to the general precedure. The tittle compound **4r** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 10 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 23.61 min, t_r (minor) = 31.26 min, *ee* = 93%.

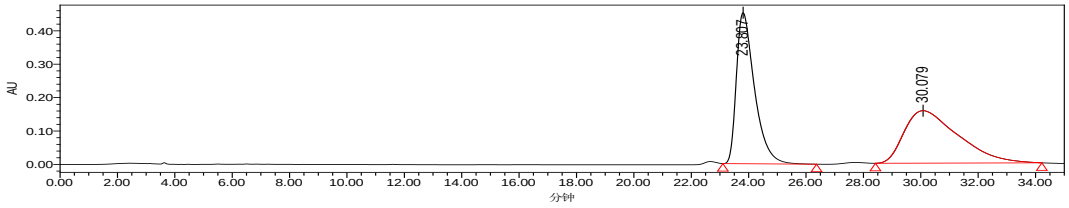
d.r. >19:1 (by ^{1}H NMR).

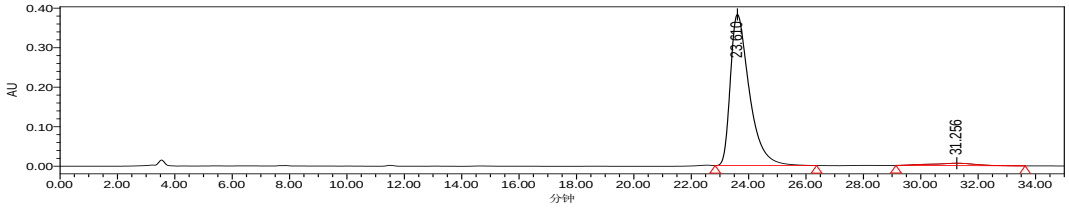
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83 (d, *J*=7.9, 2H), 7.75 (t, *J*=8.8, 2H), 7.69 (d, *J*=9.4, 1H), 7.56 (q, *J*=7.1, 2H), 7.42 (t, *J*=7.6, 3H), 7.22 (d, *J*=4.0, 2H), 7.08 (d, *J*=3.1, 3H), 5.45 (d, *J*=4.5, 1H), 5.35 – 5.28 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.09, 147.39, 135.69, 134.69, 134.49, 134.25, 133.07, 132.47, 130.40, 128.91, 128.58, 128.49, 127.50, 124.96, 61.75, 56.36.

HRMS (ESI-TOF) calcd for $C_{21}H_{17}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 467.0444, Found 467.0446.

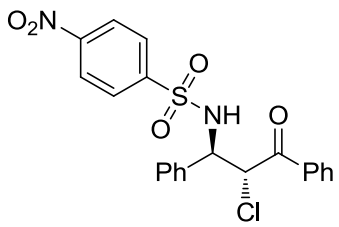
$[\alpha]^{25}_D$ = -93.4 (*c* = 0.76, in CH_2Cl_2).





	Retention Time	Area	% Area
1	23.610	17549853	96.34
2	31.256	666099	3.66

***N*-(2-chloro-3-oxo-1,3-diphenylpropyl)-4-nitrobenzenesulfonamide (4s):**



4s

Prepared according to the general precedure. The tittle compound **4s** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 10 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 24.15 min, t_r (minor) = 28.56 min, *ee* = 96%.

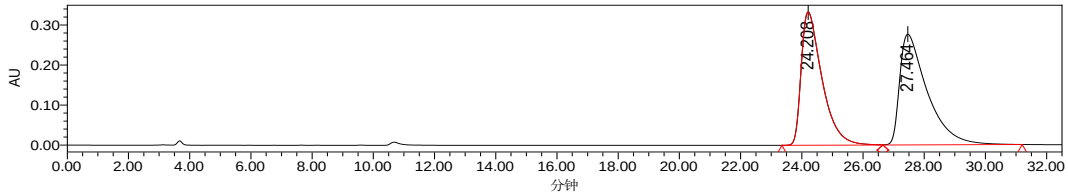
d.r. >19:1 (by ^{1}H NMR).

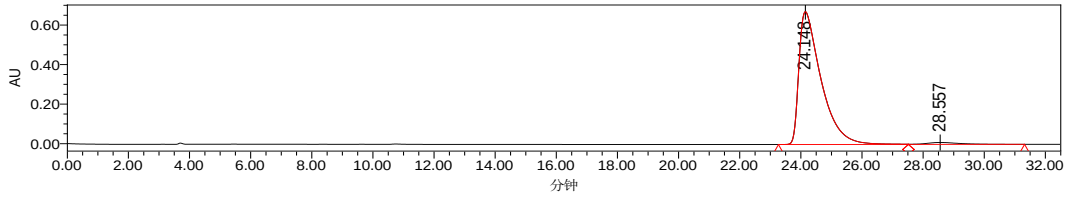
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.12 (d, *J*=8.9, 2H), 7.87 (d, *J*=8.9, 2H), 7.77 (d, *J*=7.4, 2H), 7.57 (t, *J*=7.4, 1H), 7.40 (t, *J*=7.8, 2H), 7.19 – 7.12 (m, 5H), 7.02 (d, *J*=9.1, 1H), 5.41 (d, *J*=4.7, 1H), 5.18 (dd, *J*=9.1, 4.6, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.72, 149.68, 146.52, 135.72, 134.69, 134.10, 128.94, 128.87, 128.82, 128.64, 128.26, 127.30, 123.84, 61.23, 55.74.

HRMS (ESI-TOF) calcd for $C_{21}H_{17}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 467.0444, Found 467.0444

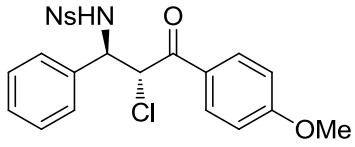
$[\alpha]^{25}_D$ = -72.3 (*c* = 0.52, in CH_2Cl_2).





	Retention Time	Area	% Area
1	24.148	33687069	97.88
2	28.557	728037	2.12

_N_-(2-chloro-3-(4-methoxyphenyl)-3-oxo-1-phenylpropyl)-4-nitrobenzenesulfonamide (4t):



4t

Prepared according to the general precedure. The tittle compound **4t** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 10 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 25.18 min, t_r (minor) = 28.86 min, *ee* = 95%.

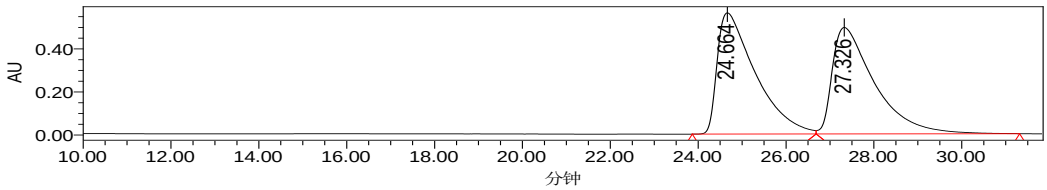
d.r. >19:1 (by ^{1}H NMR).

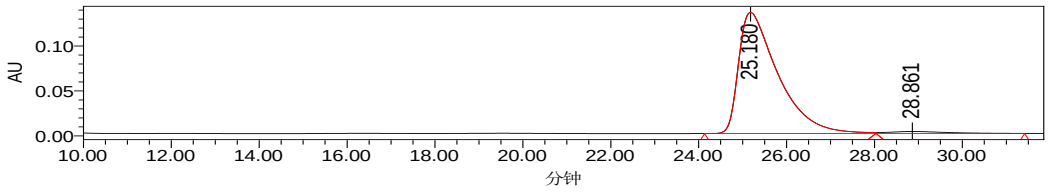
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.11 (d, *J*=8.7, 2H), 7.85 (d, *J*=8.7, 2H), 7.75 (d, *J*=8.8, 2H), 7.25 – 7.01 (m, 6H), 6.84 (d, *J*=8.8, 2H), 5.36 (d, *J*=4.7, 1H), 5.15 (dd, *J*=9.0, 4.7, 1H), 3.83 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.08, 164.81, 149.62, 146.57, 135.95, 131.44, 128.75, 128.54, 128.26, 127.33, 126.95, 123.80, 61.37, 55.64, 55.38.

HRMS (ESI-TOF) calcd for $C_{22}H_{19}{}^{34.9689}ClN_2O_6S$ ([M]+Na^+) = 497.0550, Found 497.0545.

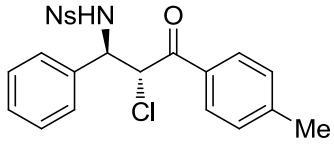
$[\alpha]^{25}_D$ = -78.3 (*c* = 0.87, in CH_2Cl_2).





	Retention Time	Area	% Area
1	25.180	8373420	97.68
2	28.861	198828	2.32

***N*-(2-chloro-3-oxo-1-phenyl-3-(p-tolyl)propyl)-4-nitrobenzenesulfonamide (4u):**



4u

Prepared according to the general precedure. The tittle compound **4u** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 91% yield (reaction time: 10 h).

HPLC (Chiralcel ID, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.92 min, t_r (minor) = 18.72 min, *ee* = 96%.

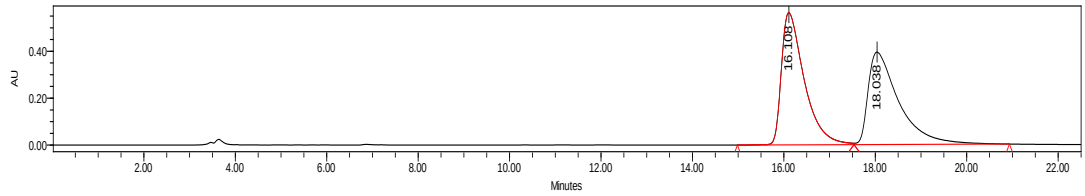
d.r. >19:1 (by ^{1}H NMR).

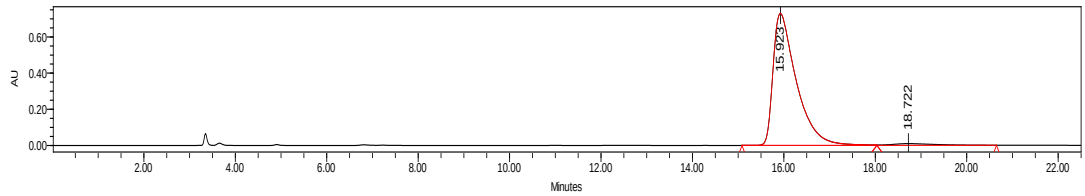
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.11 (d, *J*=8.7, 2H), 7.86 (d, *J*=8.7, 2H), 7.67 (d, *J*=8.1, 2H), 7.24 – 7.02 (m, 8H), 5.38 (d, *J*=4.7, 1H), 5.17 (dd, *J*=9.0, 4.7, 1H), 2.36 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.29, 149.64, 146.54, 146.09, 135.83, 131.60, 129.65, 129.02, 128.77, 128.58, 128.26, 127.32, 123.81, 61.26, 55.63, 21.77.

HRMS (ESI-TOF) calcd for $C_{22}H_{19}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 481.0601, Found 481.0598.

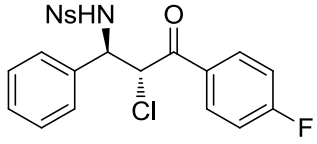
$[\alpha]^{25}_D$ = -63.4 (*c* = 0.72, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.923	25776748	97.80
2	18.722	580332	2.20

***N*-(2-chloro-3-(4-fluorophenyl)-3-oxo-1-phenylpropyl)-4-nitrobenzenesulfonamide (4v):**



4v

Prepared according to the general precedure. The tittle compound **4v** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 91% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ

= 254 nm), t_r (major) = 12.82 min, t_r (minor) = 11.14 min, *ee* = 96%.

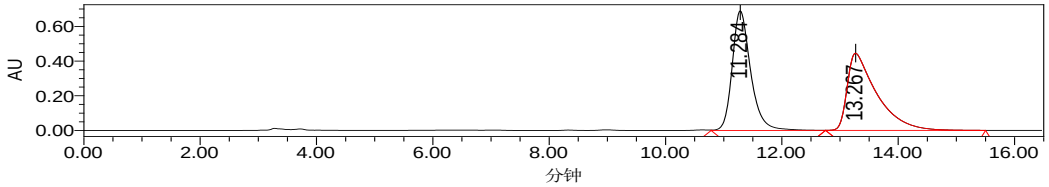
d.r. >19:1 (by ^{1}H NMR).

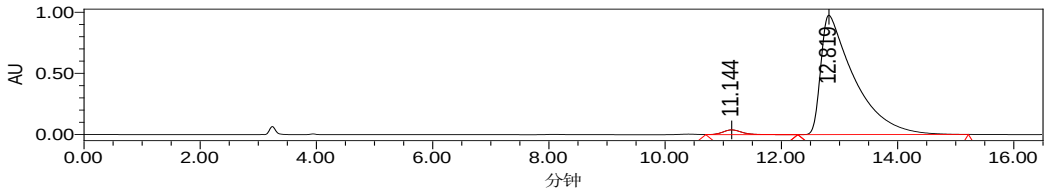
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.14 (d, *J*=8.8, 2H), 7.87 (d, *J*=8.8, 2H), 7.82 (dd, *J*=8.8, 5.3, 2H), 7.16 (s, 5H), 7.08 (t, *J*=8.5, 2H), 6.99 (d, *J*=9.1, 1H), 5.36 (d, *J*=4.5, 1H), 5.16 (dd, *J*=9.1, 4.5, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.18, 167.85, 165.28, 149.68, 146.46, 135.58, 131.85, 131.75, 130.44, 130.41, 128.87, 128.72, 128.26, 127.26, 123.87, 116.40, 116.18, 61.21, 55.64.

HRMS (ESI-TOF) calcd for $C_{21}H_{16}{}^{34.9689}ClFN_2O_5S$ ([M]+Na^+) = 485.0350, Found 485.0353.

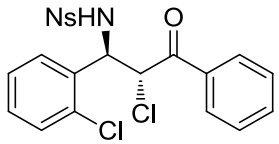
$[\alpha]^{25}_D$ = -62.0 (*c* = 0.75, in CH_2Cl_2).





	Retention Time	Area	% Area
1	11.144	891787	2.23
2	12.819	39038924	97.77

***N*-(2-chloro-1-(2-chlorophenyl)-3-oxo-3-phenylpropyl)-4-nitrobenzenesulfonamide (4w):**



4w

Prepared according to the general precedure. The tittle compound **4w** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.85 min, t_r (minor) = 10.67 min, *ee* = 92%.

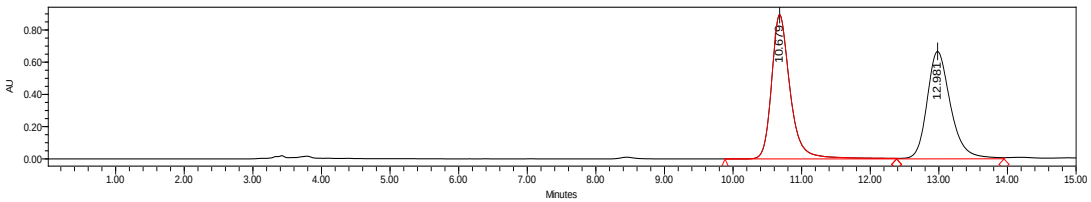
d.r. >19:1 (by ^{1}H NMR).

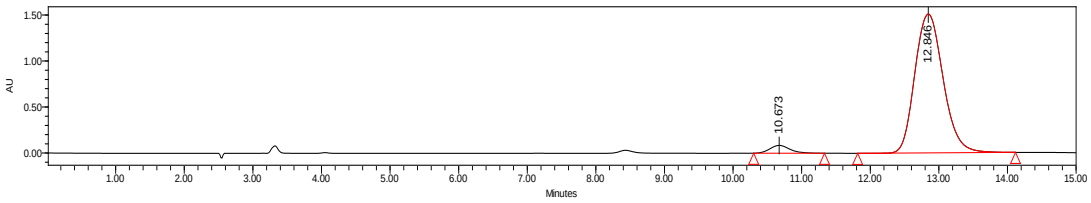
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.20 (d, *J*=8.9, 2H), 7.95 (d, *J*=8.9, 2H), 7.78 (d, *J*=7.4, 2H), 7.57 (t, *J*=7.4, 1H), 7.41 (t, *J*=7.8, 2H), 7.35 – 7.26 (m, 2H), 7.17 – 7.02 (m, 3H), 5.56 (d, *J*=4.6, 1H), 5.47 (dd, *J*=9.1, 4.6, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.67, 149.81, 146.07, 134.86, 133.93, 133.19, 132.44, 130.00, 129.83, 129.63, 128.99, 128.91, 128.34, 127.30, 123.98, 58.27, 52.70.

HRMS (ESI-TOF) calcd for $C_{21}H_{16}{}^{34.9689}Cl_2N_2O_5S$ ([M]+Na^+) = 501.0055, Found 501.0056.

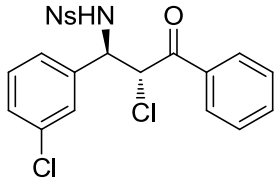
$[\alpha]^{25}_D$ = -84.9 (*c* = 0.98, in CH_2Cl_2).





	Retention Time	Area	% Area
1	10.673	1714909	3.82
2	12.846	43210079	96.18

***N*-(2-chloro-1-(3-chlorophenyl)-3-oxo-3-phenylpropyl)-4-nitrobenzenesulfonamide (4x):**



4x

Prepared according to the general precedure. The tittle compound **4x** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 9.88 min, t_r (minor) = 9.38 min, *ee* = 97%.

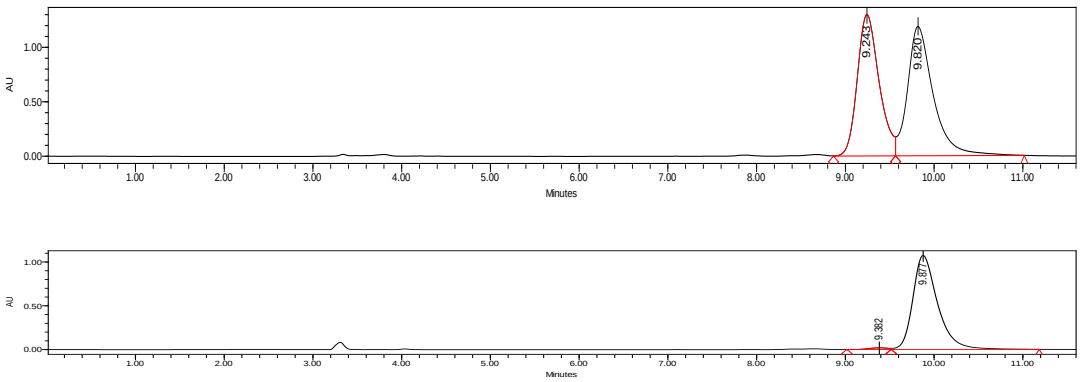
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.19 (d, *J*=8.8, 2H), 7.89 (d, *J*=8.8, 2H), 7.80 (d, *J*=7.5, 2H), 7.60 (t, *J*=7.4, 1H), 7.44 (t, *J*=7.8, 2H), 7.13 (dd, *J*=7.9, 4.5, 4H), 6.98 (d, *J*=9.0, 1H), 5.38 (d, *J*=4.6, 1H), 5.13 (dd, *J*=9.0, 4.5, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.32, 148.78, 145.22, 136.68, 133.90, 133.78, 132.73, 129.12, 128.00, 127.93, 127.82, 127.19, 126.53, 124.54, 122.92, 59.66, 54.37.

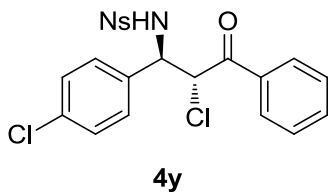
HRMS (ESI-TOF) calcd for $C_{21}H_{16}{}^{34.9689}Cl_2N_2O_5S$ ([M]+Na^+) = 501.0055, Found 501.0053.

$[\alpha]^{25}_D$ = -56.4 (*c* = 0.98, in CH_2Cl_2).



	Retention Time	Area	% Area
1	9.382	289736	1.38
2	9.877	20781480	98.62

***N*-(2-chloro-1-(4-chlorophenyl)-3-oxo-3-phenylpropyl)-4-nitrobenzenesulfonamide (4y):**



4y

Prepared according to the general precedure. The tittle compound **4y** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.47 min, t_r (minor) = 13.15 min, *ee* = 97%.

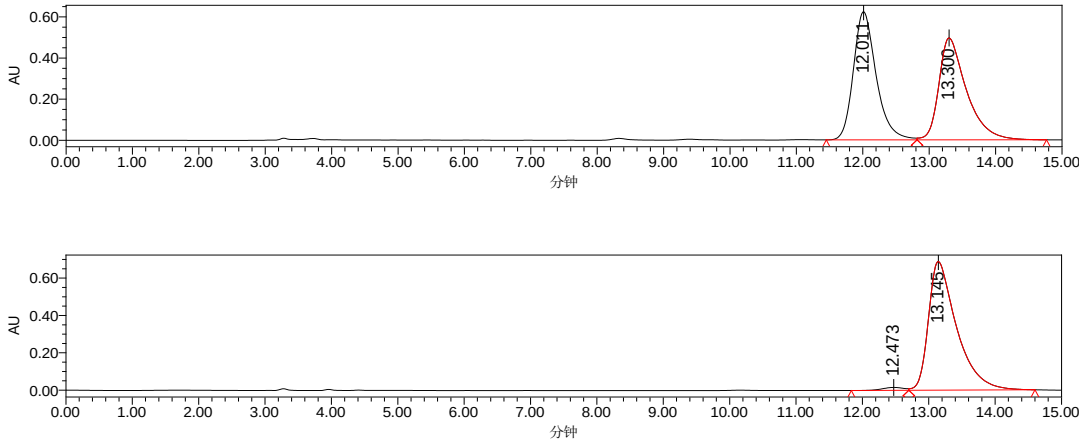
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.20 (d, *J*=8.7, 2H), 7.92 (d, *J*=8.7, 2H), 7.78 (d, *J*=7.8, 2H), 7.59 (t, *J*=7.4, 1H), 7.42 (t, *J*=7.7, 2H), 7.24 – 7.10 (m, 4H), 7.00 (d, *J*=8.8, 1H), 5.37 (d, *J*=4.7, 1H), 5.14 (dd, *J*=8.7, 4.7, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.44, 149.82, 146.35, 134.91, 134.75, 134.56, 133.85, 129.04, 128.90, 128.70, 128.31, 123.99, 60.52, 55.54.

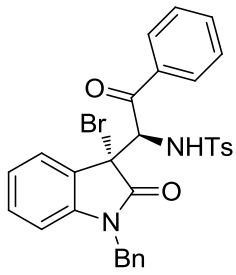
HRMS (ESI-TOF) calcd for $C_{21}H_{16}{}^{34.9689}Cl_2N_2O_5S$ ([M]+Na^+) = 501.0055, Found 501.0052.

$[\alpha]^{25}_D$ = -62.8 (*c* = 0.96, in CH_2Cl_2).



	Retention Time	Area	% Area
1	12.473	350974	1.70
2	13.145	20298767	98.30

_N_-(1-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (5a):



5a

Prepared according to the general precedure. The tittle compound **5a** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 80.68 min, t_r (minor) = 36.51 min, *ee* = 99%.

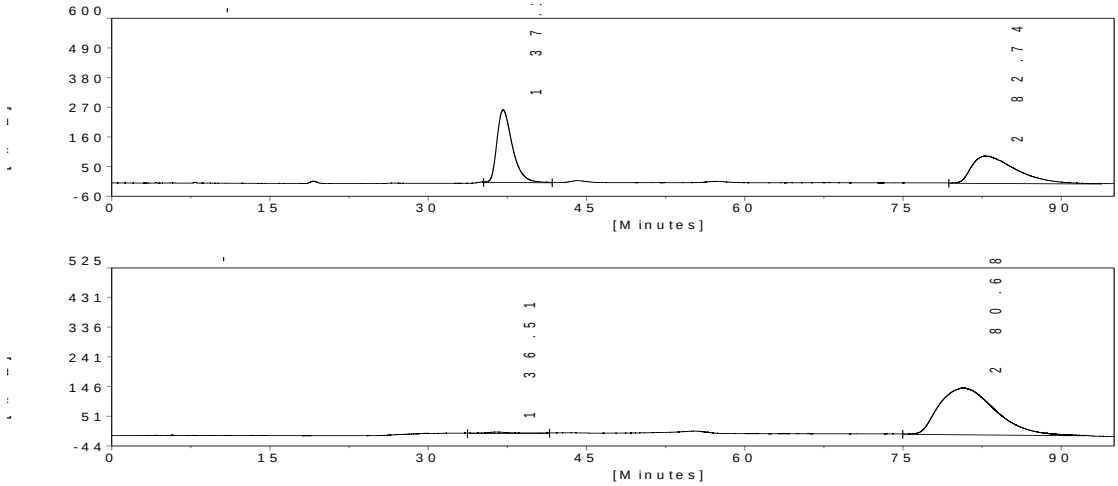
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J*=7.4, 2H), 7.48 (d, *J*=7.9, 3H), 7.34 – 7.17 (m, 8H), 7.05 (t, *J*=7.4, 1H), 6.91 (d, *J*=7.9, 2H), 6.83 (t, *J*=7.4, 1H), 6.54 (d, *J*=7.8, 1H), 6.13 (d, *J*=10.0, 1H), 5.77 (d, *J*=10.2, 1H), 4.95 (d, *J*=15.8, 1H), 4.76 (d, *J*=15.8, 1H), 2.15 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.01, 172.85, 143.70, 142.27, 136.63, 135.76, 134.70, 134.17, 131.01, 129.37, 129.10, 128.95, 128.45, 127.89, 127.35, 127.25, 125.93, 125.47, 123.24, 110.27, 58.86, 52.34, 44.42, 21.43.

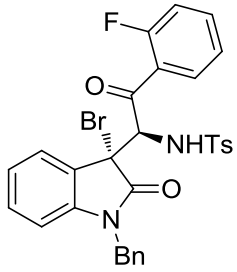
$[\alpha]^{25}_D$ = 111.4 (*c* = 1.09, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{30}H_{25}{}^{78.9183}BrN_2O_4S$ ([M]+Na^+) = 611.0616, Found 611.0616.



	Retention Time	Area	% Area
1	36.51	372.40	0.6851
2	80.68	53982.27	99.3149

_N_-(1-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-(2-fluorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (5b):



5b

Prepared according to the general precedure. The tittle compound **5b** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 113.70 min, t_r (minor) = 40.97 min, *ee* = 95%.

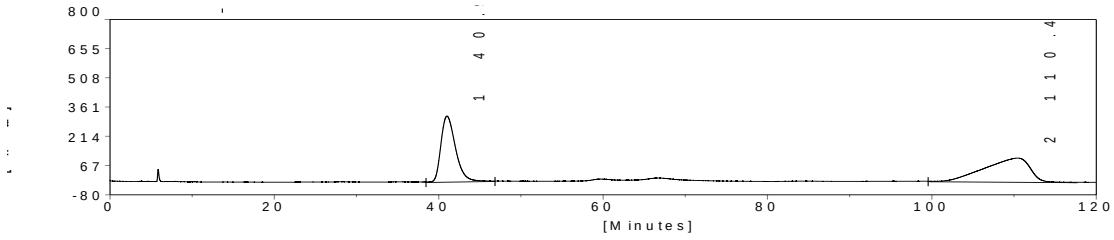
d.r. >19:1 (by ^{1}H NMR).

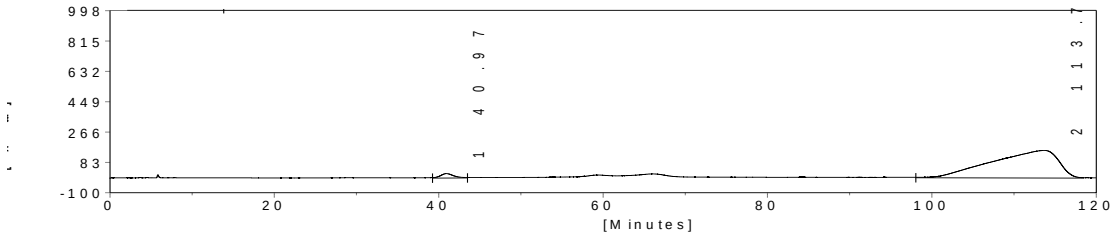
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.66 (d, *J*=8.2, 2H), 7.49 (dd, *J*=9.8, 4.9, 2H), 7.42 – 7.27 (m, 6H), 7.18 – 7.00 (m, 5H), 6.92 (t, *J*=7.5, 1H), 6.62 (d, *J*=7.9, 1H), 6.49 (d, *J*=9.9, 1H), 5.75 (dd, *J*=10.1, 3.0, 1H), 4.98 (d, *J*=15.8, 1H), 4.84 (d, *J*=15.8, 1H), 2.27 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.79, 172.75, δ = 161.43 (d, *J*=255.8),143.67, 141.95, 136.76, 135.64 (d, *J*=9.5), 134.74, 130.96, 130.75, 130.74, 129.42, 128.91, 127.89, 127.43, 127.30, 126.08, 125.51, 124.54 (d, *J*=10.9), 124.41 (d, *J*=3.3), 123.37, 116.68 (d, *J*=23.6), 110.21, 62.97 (d, *J*=10.1), 52.74, 44.39, 21.47.

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}BrFN_2O_4S$ ([M]+H^+) = 607.0702, Found 607.0723.

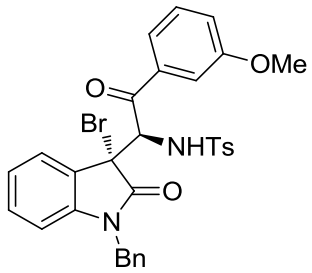
$[\alpha]^{25}_D$ = 100.6 (*c* = 1.22, in CH_2Cl_2).





	Retention Time	Area	% Area
1	40.97	2368.08	2.5394
2	113.70	90884.78	97.4606

***N*-(1-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-(3-methoxyphenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (5c):**



5c

Prepared according to the general precedure. The tittle compound **5c** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 53.31 min, t_r (minor) = 32.73 min, *ee* = 94%.

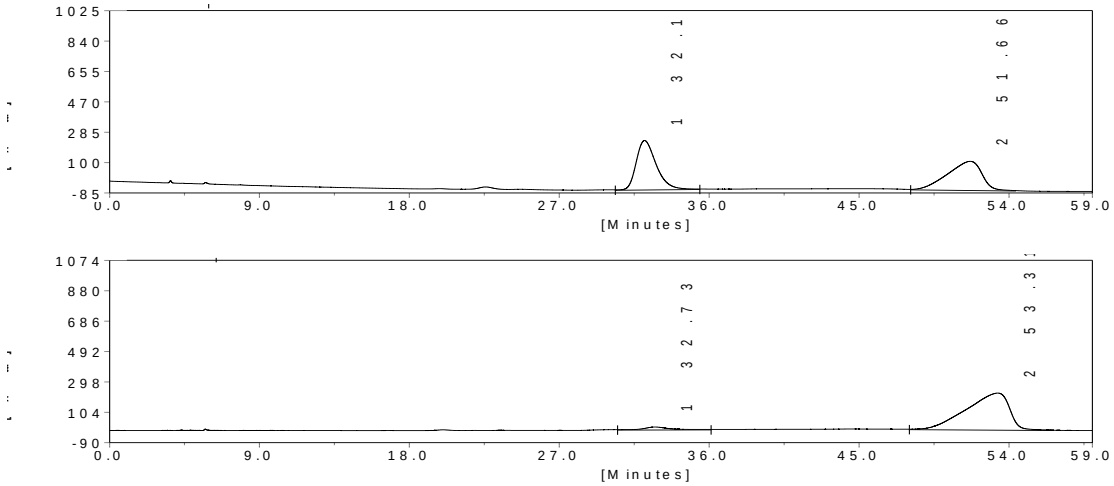
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.56 (d, *J*=8.2, 2H), 7.50 (d, *J*=7.7, 1H), 7.44 – 7.26 (m, 7H), 7.17 – 7.07 (m, 3H), 7.02 (d, *J*=8.1, 2H), 6.91 (t, *J*=7.7, 1H), 6.62 (d, *J*=7.9, 1H), 6.24 (d, *J*=10.1, 1H), 5.81 (d, *J*=10.2, 1H), 5.02 (d, *J*=15.8, 1H), 4.85 (d, *J*=15.8, 1H), 3.78 (s, 3H), 2.26 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.87, 172.90, 159.59, 143.65, 142.24, 137.13, 136.78, 134.72, 130.96, 129.47, 129.34, 128.94, 127.88, 127.34, 127.26, 125.86, 125.57, 123.25, 122.15, 120.88, 112.54, 110.25, 59.30, 55.42, 52.34, 44.42, 21.39.

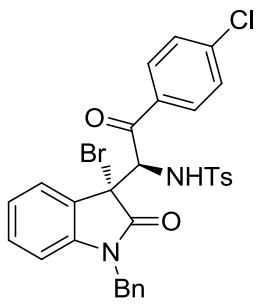
$[\alpha]^{25}_D$ = 78.8 (*c* = 0.97, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{78.9183}BrN_2O_5S$ ([M]+K^+) = 657.0461, Found 657.0457.



	Retention Time	Area	% Area
1	32.73	1398.57	3.2450
2	53.31	41700.22	96.7550

***N*-(1-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-(4-chlorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (5d):**



5d

Prepared according to the general precedure. The tittle compound **5d** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 113.02 min, t_r (minor) = 34.66 min, *ee* = 99%.

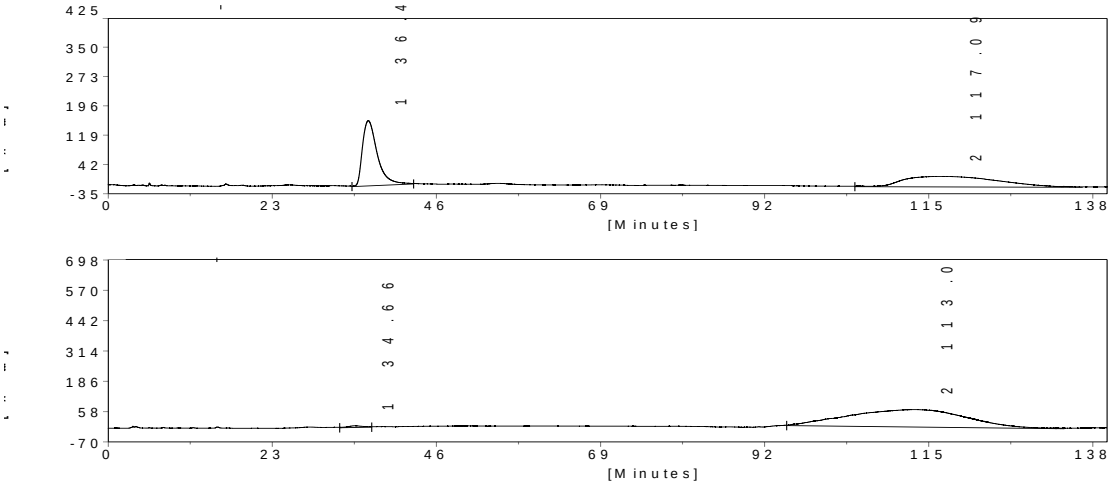
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.68 (d, *J*=8.5, 2H), 7.49 (d, *J*=8.1, 2H), 7.32 – 7.16 (m, 8H), 7.07 (t, *J*=7.4, 1H), 6.95 (d, *J*=7.9, 2H), 6.84 (t, *J*=7.4, 1H), 6.55 (d, *J*=7.8, 1H), 6.13 (d, *J*=10.1, 1H), 5.69 (d, *J*=10.1, 1H), 4.94 (d, *J*=15.8, 1H), 4.76 (d, *J*=15.8, 1H), 2.18 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 194.97, 172.76, 143.90, 142.29, 140.85, 136.66, 134.65, 134.10, 131.11, 130.46, 129.42, 128.96, 128.81, 127.92, 127.37, 127.24, 125.83, 125.38, 123.32, 110.33, 58.94, 52.24, 44.44, 21.44.

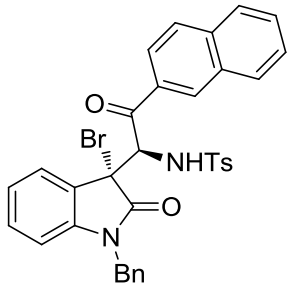
$[\alpha]^{25}_D$ = 119.9 (*c* = 1.04, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}Br^{34.9689}ClN_2O_4S$ ([M]+H$^+$) = 623.0407, Found 623.0407.



	Retention Time	Area	% Area
1	34.66	567.74	0.6476
2	113.02	87105.98	99.3524

***N*-(1-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-(naphthalen-2-yl)-2-oxoethyl)-4-methylbenzenesulfonamide (5e):**



5e

Prepared according to the general precedure. The tittle compound **5e** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 4 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 37.62 min, t_r (minor) = 21.39 min, *ee* = 96%.

d.r. >19:1 (by ^{1}H NMR).

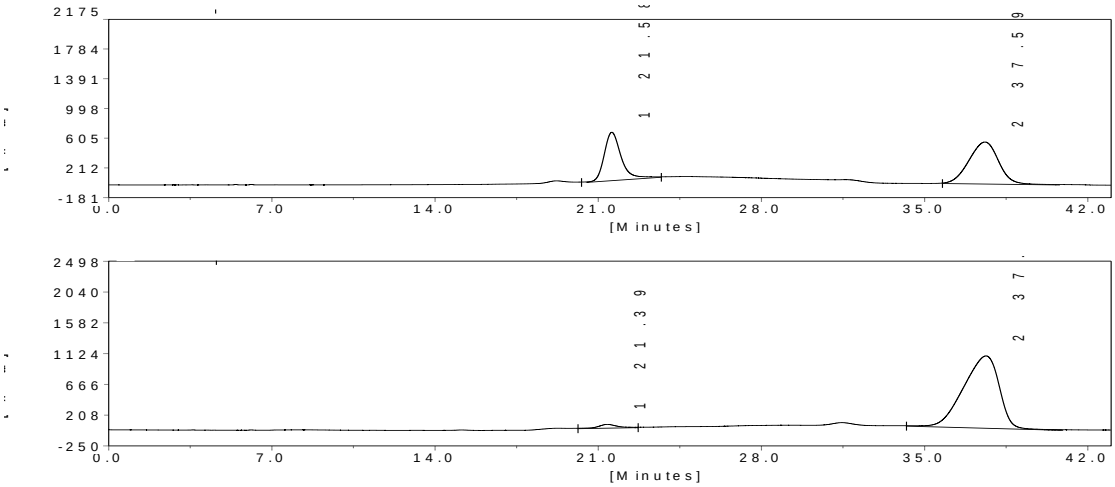
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.38 (s, 1H), 7.99 (d, *J*=7.9, 1H), 7.85 (d, *J*=8.0, 1H), 7.77 (s, 2H), 7.67 – 7.57 (m, 2H), 7.53 (d, *J*=8.2, 2H), 7.37 (dt, *J*=14.9, 7.6, 5H), 7.28 (t, *J*=7.1, 1H), 7.13 (t, *J*=7.4, 1H), 6.90 (t,

J=7.5, 1H), 6.80 (d, *J*=8.0, 2H), 6.64 (d, *J*=7.9, 1H), 6.23 (d, *J*=10.1, 1H), 5.98 (d, *J*=10.2, 1H), 5.06 (d, *J*=15.8, 1H), 4.87 (d, *J*=15.8, 1H), 1.98 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.78, 172.99, 143.65, 142.38, 136.57, 135.88, 134.76, 133.07, 132.01, 131.80, 131.00, 130.06, 129.41, 129.27, 128.97, 128.33, 127.89, 127.72, 127.31, 127.28, 127.15, 125.98, 125.60, 123.89, 123.26, 110.29, 58.88, 52.55, 44.47, 21.14.

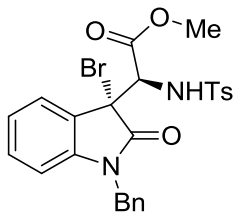
$[\alpha]^{25}_D$ = 115.0 (*c* = 0.83, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{34}H_{27}{}^{78.9183}BrN_2O_4S$ ([M]+Na^+) = 661.0773, Found 661.0779



	Retention Time	Area	% Area
1	21.39	2320.69	2.0319
2	37.62	111893.54	97.9681

Methyl 2-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (5f):



5f

Prepared according to the general precedure. The tittle compound **5f** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 2 h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.76 (d, *J*=8.2, 2H), 7.41 (d, *J*=7.5, 1H), 7.36 – 7.25 (m, 7H), 7.22 (t, *J*=7.7, 1H), 7.05 (t, *J*=7.6, 1H), 6.67 (d, *J*=7.9, 1H), 6.18 (d, *J*=10.3, 1H), 4.97 (d, *J*=15.8, 1H), 4.87 – 4.73 (m, 2H), 3.28 (s, 3H), 2.42 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 172.49, 168.10, 143.93, 141.98, 136.66, 134.62, 131.20, 129.55, 128.95, 127.96, 127.54, 127.29, 125.64, 125.56, 123.59, 110.28, 61.15, 52.56, 51.57, 44.35, 21.61.

$[\alpha]^{25}_D$ = 105.3 (*c* = 1.12, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{25}H_{23}{}^{78.9183}BrN_2O_5S$ ([M]+Na^+) = 565.0409, Found 565.0411.

Aziridine-5f:

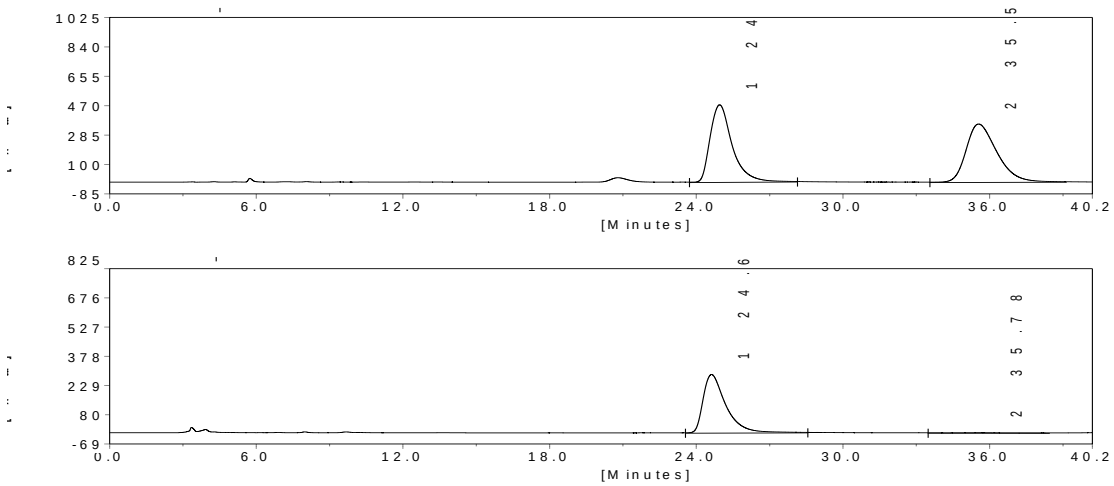
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.88 (d, *J*=8.3, 2H), 7.42 – 7.25 (m, 9H), 6.99 (t, *J*=7.5, 1H), 6.78 (d, *J*=7.9, 1H), 5.14 (d, *J*=15.6, 1H), 4.89 (d, *J*=15.6, 1H), 4.63 (s, 1H), 3.73 (s, 3H), 2.44 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 167.82, 164.51, 144.44, 144.28, 137.67, 135.10, 130.72, 129.70, 128.94, 127.91, 127.56, 127.44, 124.41, 123.08, 120.75, 109.79, 52.92, 52.62, 50.93, 44.71, 21.70.

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 24.63 min, t_r (minor) = 35.78 min, *ee* = 99%.

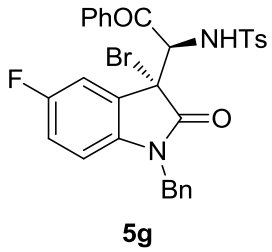
$[\alpha]^{25}_D$ = -37.8 (*c* = 0.54, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{25}H_{22}N_2O_5S$([M]+H^+) = 463.1328, Found 463.1328.



	Retention Time	Area	% Area
1	24.63	18924.44	99.7099
2	35.78	55.07	0.2901

_N_-(1-(1-benzyl-3-bromo-5-fluoro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (5g):



5g

Prepared according to the general precedure. The tittle compound **5g** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 91% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 45.47 min, t_r (minor) = 33.24 min, *ee* = 99%.

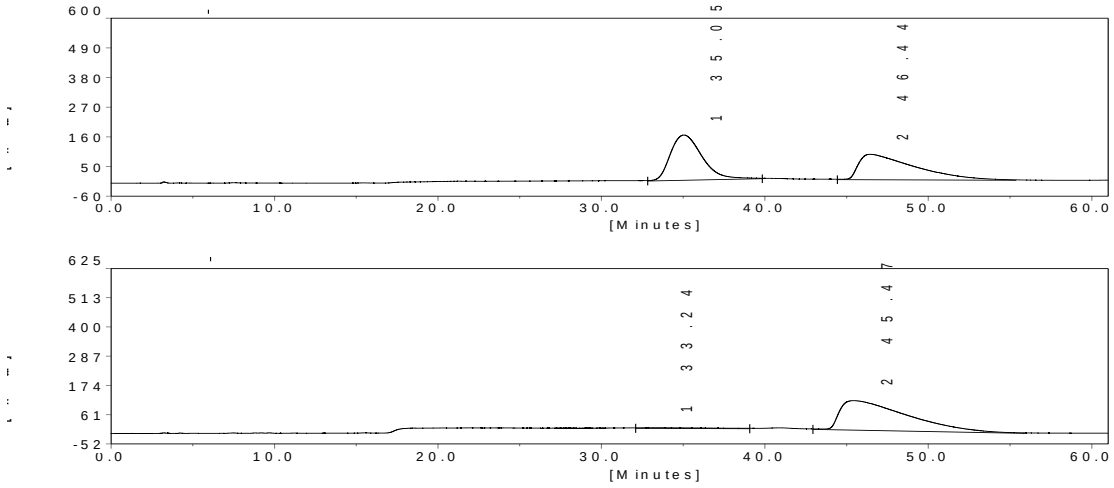
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83 (d, *J*=7.5, 2H), 7.57 (dd, *J*=18.7, 7.8, 3H), 7.47 – 7.27 (m, 7H), 7.10 (dd, *J*=7.9, 2.4, 1H), 6.98 (d, *J*=8.0, 2H), 6.87 (td, *J*=8.7, 2.5, 1H), 6.56 (dd, *J*=8.6, 4.1, 1H), 6.04 (d, *J*=10.2, 1H), 5.82 (d, *J*=10.3, 1H), 5.04 (d, *J*=15.8, 1H), 4.82 (d, *J*=15.8, 1H), 2.22 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.00, 172.59, 159.01 (d, *J*=243.0), 143.83, 138.33, 136.40, 135.62, 134.38, 134.35, 129.40, 129.20, 129.03, 128.50, 128.01, 127.37, 127.22, 126.89 (d, *J*=8.6), 117.58 (d, *J*=23.5), 114.09 (d, *J*=26.0), 111.04, 110.96, 58.42, 51.83, 44.59, 21.41.

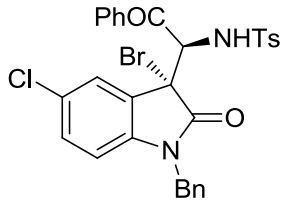
$[\alpha]^{25}_D$ = 98.6 (*c* = 1.10, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}BrFN_2O_4S$ ([M]+K^+) = 645.0261, Found 645.0262.



	Retention Time	Area	% Area
1	33.24	198.00	0.6224
2	45.47	31616.44	99.3776

***N*-(1-(1-benzyl-3-bromo-5-chloro-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (5h):**



5h

Prepared according to the general precedure. The tittle compound **5h** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 92% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 39.59 min, t_r (minor) = 33.31 min, *ee* = 99%.

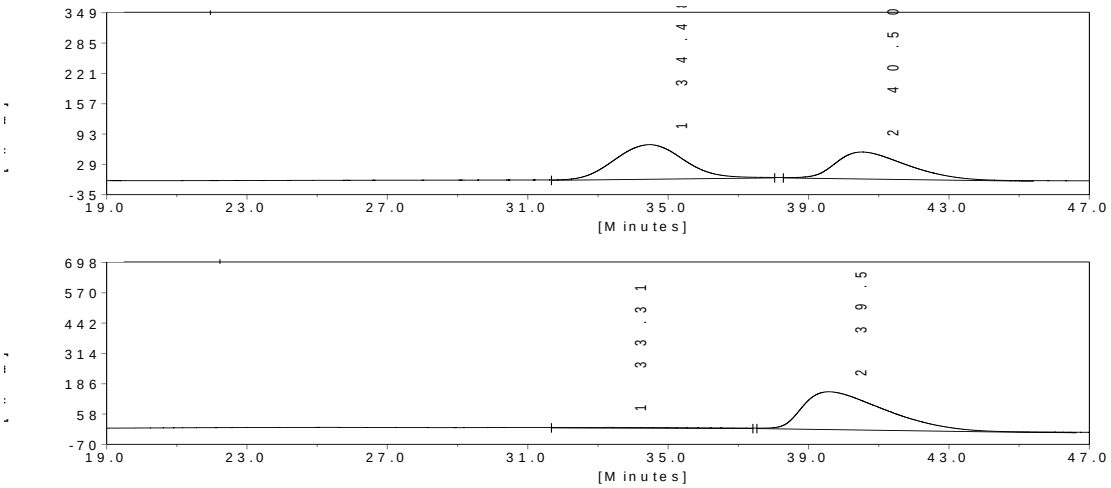
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (d, *J*=7.5, 2H), 7.63 – 7.50 (m, 3H), 7.46 – 7.27 (m, 8H), 7.12 (dd, *J*=8.4, 2.0, 1H), 6.98 (d, *J*=8.0, 2H), 6.55 (d, *J*=8.4, 1H), 6.03 (d, *J*=10.3, 1H), 5.81 (d, *J*=10.3, 1H), 5.05 (d, *J*=15.8, 1H), 4.81 (d, *J*=15.8, 1H), 2.22 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.00, 172.39, 143.85, 140.88, 136.37, 135.62, 134.36, 134.25, 130.95, 129.41, 129.19, 129.05, 128.70, 128.50, 128.06, 127.38, 127.21, 127.07, 126.49, 111.26, 58.49, 51.55, 44.58, 21.41.

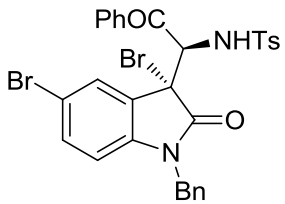
$[\alpha]^{25}_D$ = 128.5 (*c* = 0.98, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}Br^{34.9689}ClN_2O_4S$ ([M]+H$^+$) = 623.0407, Found 623.0399.



	Retention Time	Area	% Area
1	33.31	169.68	0.6730
2	39.59	25044.08	99.3270

***N*-(1-(1-benzyl-3,5-dibromo-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (5i):**



5i

Prepared according to the general precedure. The tittle compound **5i** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 41.10 min, t_r (minor) = 35.69 min, *ee* = 99%.

d.r. >19:1 (by ^{1}H NMR).

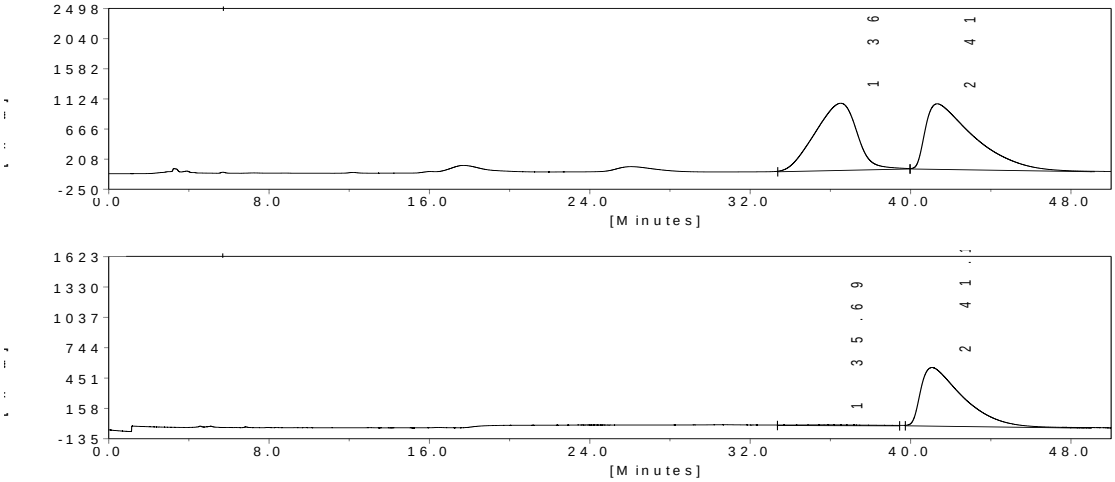
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.74 (d, *J*=7.5, 2H), 7.55 – 7.45 (m, 3H),

7.40 – 7.25 (m, 7H), 7.25 – 7.18 (m, 2H), 6.91 (d, *J*=7.9, 2H), 6.43 (d, *J*=8.4, 1H), 5.96 (d, *J*=10.2, 1H), 5.73 (d, *J*=10.3, 1H), 4.97 (d, *J*=15.8, 1H), 4.74 (d, *J*=15.8, 1H), 2.15 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.00, 172.26, 143.84, 141.36, 136.37, 135.63, 134.35, 134.20, 133.82, 129.41, 129.25, 129.17, 129.05, 128.49, 128.06, 127.39, 127.20, 115.85, 111.70, 58.54, 51.45, 44.56, 21.41.

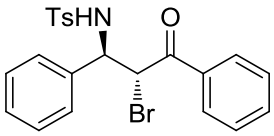
$[\alpha]^{25}_D$ = 88.6 (*c* = 1.14, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{78.9183}Br_2N_2O_4S$ ([M]+H$^+$) = 666.9902, Found 666.9899.



	Retention Time	Area	% Area
1	35.69	606.50	0.7483
2	41.10	80448.15	99.2517

_N_-(2-bromo-3-oxo-1,3-diphenylpropyl)-4-methylbenzenesulfonamide (6a):



6a

Prepared according to the general precedure. The tittle compound **6a** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 4 h).

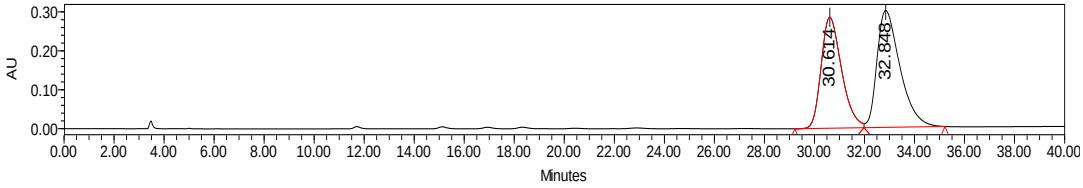
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 85/15, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 32.85 min, t_r (minor) = 30.95 min, *ee* = 99%.

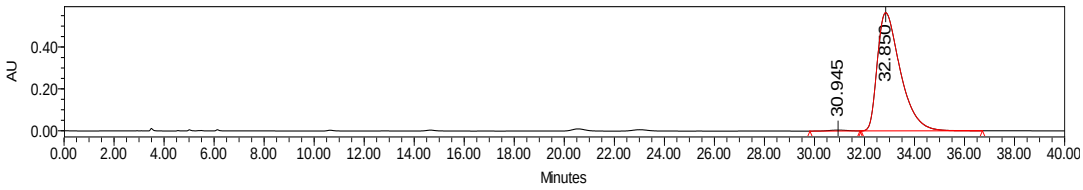
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.69 (d, *J*=7.9, 2H), 7.50 (d, *J*=7.5, 2H), 7.45 (t, *J*=7.3, 1H), 7.30 (t, *J*=7.4, 2H), 7.13 – 6.97 (m, 7H), 6.72 (d, *J*=8.9, 1H), 5.37 (d, *J*=4.0, 1H), 5.03 (dd, *J*=7.9, 5.1, 1H), 2.24 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.75, 143.01, 137.76, 136.82, 134.27, 129.19, 128.82, 128.75, 128.59, 128.11, 127.36, 127.12, 60.70, 46.12, 21.46.

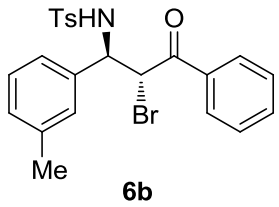
$[\alpha]^{25}_D$ = -82.6 (*c* = 1.02, in CH_2Cl_2).





	Retention Time	Area	% Area
1	30.945	201525	0.56
2	32.850	36004908	99.44

***N*-(2-bromo-3-oxo-3-phenyl-1-(m-tolyl)propyl)-4-methylbenzenesulfonamide (6b):**



6b

Prepared according to the general precedure. The tittle compound **6b** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 4h).

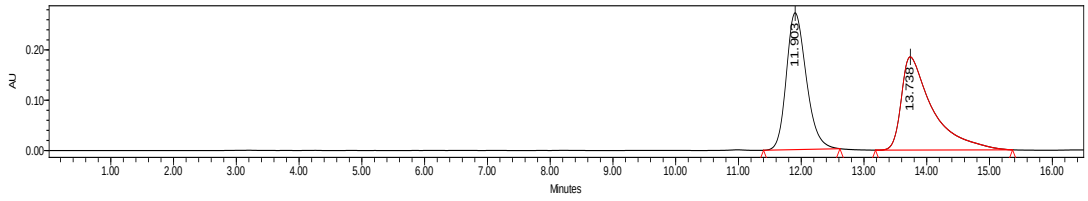
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 13.56 min, t_r (minor) = 11.97 min, *ee* = 99%.

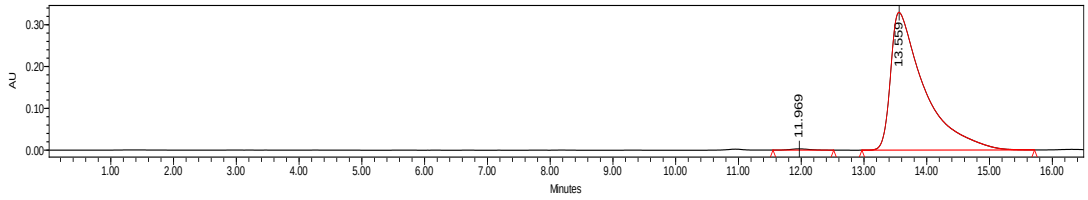
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.70 (d, *J*=7.9, 2H), 7.47 (dd, *J*=16.8, 7.8, 3H), 7.31 (t, *J*=7.7, 2H), 7.00 (d, *J*=8.1, 2H), 6.92 (dd, *J*=18.1, 7.5, 2H), 6.85 – 6.79 (m, 2H), 6.66 (d, *J*=9.2, 1H), 5.35 (d, *J*=4.9, 1H), 4.99 (dd, *J*=9.1, 4.9, 1H), 2.25 (s, 3H), 2.06 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.81, 142.90, 138.22, 137.86, 136.57, 134.37, 134.21, 129.10, 128.84, 128.80, 128.74, 128.48, 128.04, 127.11, 124.46, 60.78, 46.09, 21.42, 21.21.

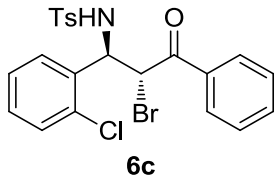
$[\alpha]^{25}_D$ = -64.0 (*c* = 0.87, in CH_2Cl_2).





	Retention Time	Area	% Area
1	11.969	59395	0.48
2	13.559	12280697	99.52

***N*-(2-bromo-1-(2-chlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (6c):**



6c

Prepared according to the general precedure. The tittle compound **6c** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 92% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.69 (d, *J*=7.6, 2H), 7.56 (d, *J*=8.2, 2H),

7.46 (t, *J*=7.4, 1H), 7.31 (t, *J*=7.8, 2H), 7.23 (dd, *J*=7.7, 1.1, 1H), 7.16 (d, *J*=7.9, 1H), 7.04 (d, *J*=8.2, 2H), 7.00 (td, *J*=7.7, 1.5, 1H), 6.93 (t, *J*=7.4, 1H), 6.84 (d, *J*=9.2, 1H), 5.53 (d, *J*=4.8, 1H), 5.35 (dd, *J*=9.2, 4.7, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.70, 143.19, 137.40, 134.40, 134.17, 134.14, 132.39, 129.83, 129.60, 129.44, 129.27, 128.86, 128.72, 127.14, 127.12, 57.84, 42.83, 21.47.

$[\alpha]^{25}_D$ = -68.9 (*c* = 0.96, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{22}H_{19}{}^{78.9183}Br^{34.9689}ClNO_3S$ ($[M]+Na^+$) = 513.9855, Found 513.9852.

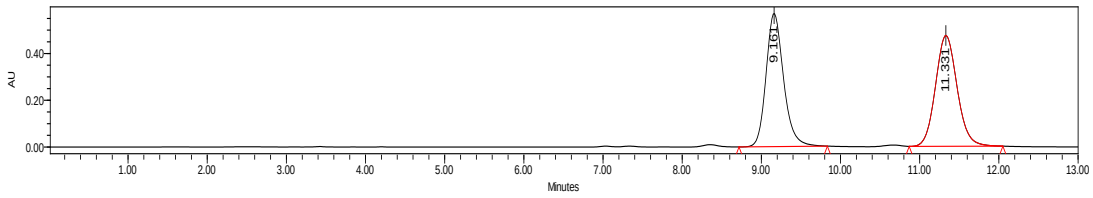
Aziridine-6c:

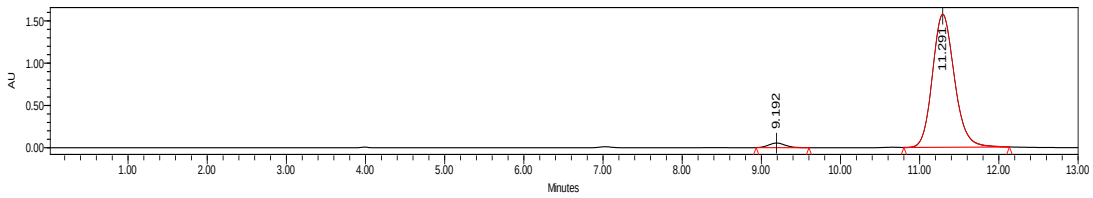
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.99 (d, *J*=7.6, 2H), 7.67 (d, *J*=8.2, 2H), 7.54 (t, *J*=7.4, 1H), 7.41 (t, *J*=7.7, 2H), 7.28 (d, *J*=7.8, 1H), 7.22 – 7.12 (m, 5H), 4.70 (d, *J*=4.2, 1H), 4.13 (d, *J*=4.2, 1H), 2.34 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.79, 144.62, 136.34, 136.00, 134.82, 134.10, 131.44, 129.96, 129.59, 129.48, 129.03, 128.79, 128.24, 127.93, 126.92, 49.47, 45.61, 21.66.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 11.29 min, t_r (minor) = 9.19 min, *ee* = 95%.

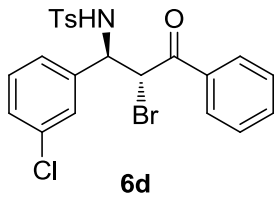
$[\alpha]^{25}_D$ = -9.8 (*c* = 0.61, in CH_2Cl_2).





	Retention Time	Area	% Area
1	9.192	786820	2.53
2	11.291	30268017	97.47

***N*-(2-bromo-1-(3-chlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (6d):**



6d

Prepared according to the general precedure. The tittle compound **6d** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J*=7.9, 2H), 7.47 (t, *J*=7.1, 3H), 7.32 (t, *J*=7.6, 2H), 7.01 (t, *J*=5.4, 6H), 6.67 (d, *J*=9.1, 1H), 5.33 (d, *J*=5.2, 1H), 4.99 (dd, *J*=9.0, 5.2, 1H), 2.26 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.29, 143.32, 138.70, 137.44, 134.45, 134.41, 134.05, 129.84, 129.27, 128.87, 128.81, 128.29, 127.74, 127.02, 125.71, 60.14, 45.72, 21.45.

$[\alpha]^{25}_D$ = -61.1 (*c* = 1.02, in CH_2Cl_2).

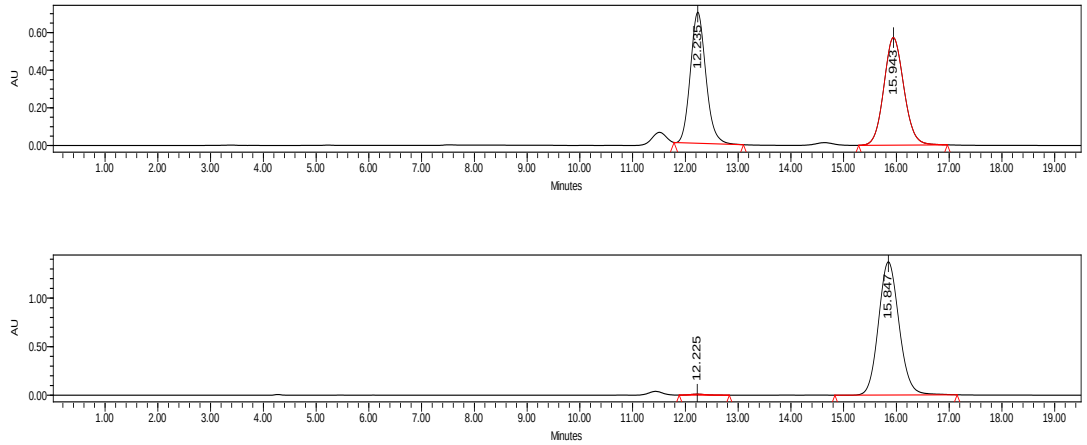
Aziridine-6d:

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.95 (d, *J*=7.8, 2H), 7.62 (d, *J*=8.0, 2H), 7.54 (t, *J*=7.4, 1H), 7.40 (t, *J*=7.6, 2H), 7.18 (dt, *J*=11.9, 8.1, 6H), 4.42 (d, *J*=4.1, 1H), 4.12 (d, *J*=4.1, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.91, 144.69, 136.24, 135.88, 135.27, 134.66, 134.21, 129.96, 129.62, 129.06, 129.01, 128.84, 127.79, 127.44, 125.76, 50.51, 46.08, 21.64.

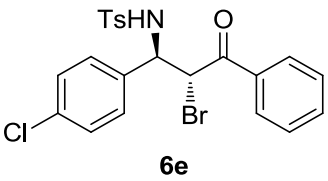
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.85 min, t_r (minor) = 12.23 min, *ee* = 99%.

$[\alpha]^{25}_D$ = -15.2 (*c* = 0.55, in CH_2Cl_2).



	Retention Time	Area	% Area
1	12.225	188650	0.51
2	15.847	37099312	99.49

***N*-(2-bromo-1-(4-chlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (6e):**



6e

Prepared according to the general precedure. The tittle compound **6e** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.72 (d, *J*=7.6, 2H), 7.48 (t, *J*=7.2, 3H), 7.33 (t, *J*=7.8, 2H), 7.07 – 6.99 (m, 6H), 6.68 (d, *J*=9.0, 1H), 5.33 (d, *J*=5.1, 1H), 4.99 (dd, *J*=9.0, 5.1, 1H), 2.28 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.46, 143.32, 137.59, 135.34, 134.45, 134.12, 134.06, 129.27, 128.90, 128.78, 128.72, 127.10, 60.04, 45.75, 21.46.

$[\alpha]^{25}_D$ = -52.6 (*c* = 0.57, in CH_2Cl_2).

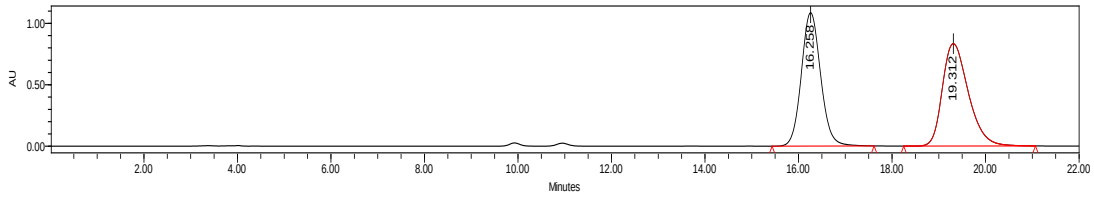
Aziridine-6e:

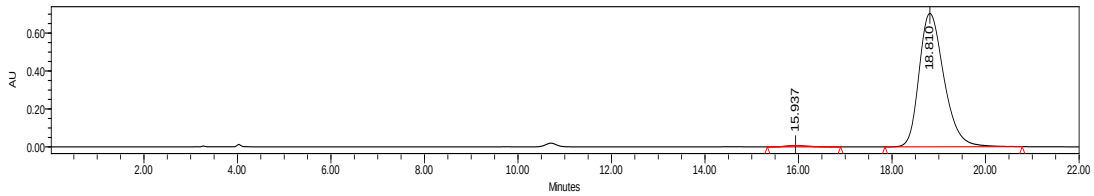
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.96 (d, *J*=7.6, 2H), 7.64 (d, *J*=8.2, 2H), 7.55 (t, *J*=7.4, 1H), 7.41 (t, *J*=7.7, 2H), 7.22 (d, *J*=5.7, 3H), 7.19 (d, *J*=2.5, 1H), 7.16 (d, *J*=8.2, 2H), 4.41 (d, *J*=4.2, 1H), 4.17 (d, *J*=4.2, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 190.06, 144.59, 136.45, 135.88, 134.90, 134.20, 131.54, 129.59, 128.97, 128.90, 128.85, 127.72, 50.25, 46.62, 21.64.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 18.81 min, t_r (minor) = 15.94 min, *ee* = 98%.

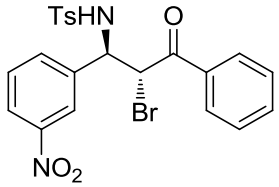
$[\alpha]^{25}_D$ = -5.0 (*c* = 0.28, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.937	216013	0.83
2	18.810	25936501	99.17

***N*-((1*R*,2*R*)-2-bromo-1-(3-nitrophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (6f):**



6f

Prepared according to the general precedure. The tittle compound **6f** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, DMSO) δ = 8.63 (d, *J*=9.3, 1H), 8.11 (d, *J*=7.7, 2H), 8.06 – 7.97 (m, 2H), 7.79 – 7.72 (m, 2H), 7.62 (t, *J*=7.6, 2H), 7.48 (t, *J*=7.9, 1H), 7.26 (d, *J*=7.9, 2H), 7.00 (d, *J*=8.0, 2H), 5.77 (d, *J*=10.4, 1H), 5.11 (t, *J*=9.8, 1H), 2.20 (s, 3H).

^{13}C NMR (101 MHz, DMSO) δ = 191.52, 147.34, 142.22, 139.40, 137.66, 135.52, 134.33, 134.12, 129.30, 129.01, 128.94, 128.89, 126.23, 122.64, 122.48, 58.23, 46.59, 20.68.

$[\alpha]^{25}_D$ = -77.8 (*c* = 0.74, in CH_2Cl_2). Known (1*R*,2*R*)-**6f:** 99% *ee*, $[\alpha]^{25}_D$ = -88.0 (*c* = 1.79, in CH_2Cl_2). Reference: Y. F. Cai, X. H. Liu, Y. H. Hui, J. Jiang, W. T. Wang, W. L. Chen, L. L. Lin, X. M. Feng, *Angew. Chem.* **2010**, *122*, 6296–6300; *Angew. Chem. Int. Ed.* **2010**, *49*, 6160–6164.

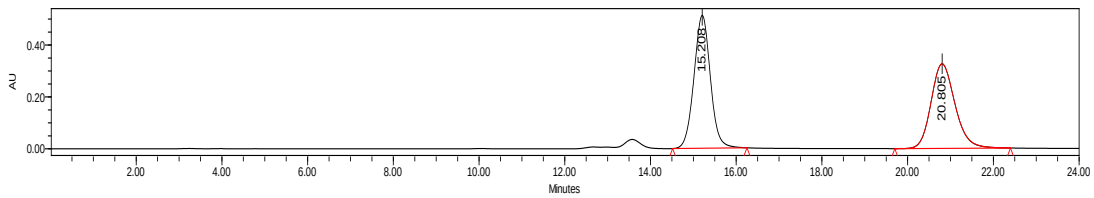
Aziridine-6f:

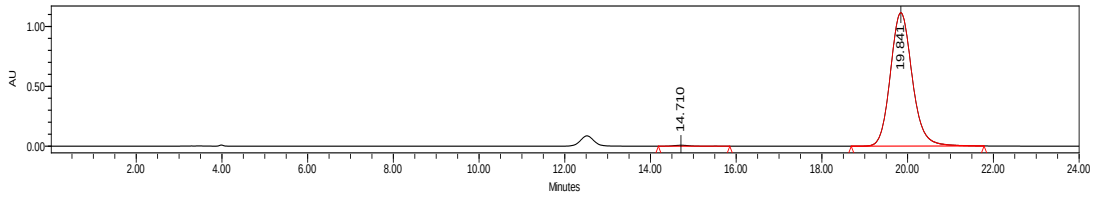
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.10 (d, *J*=8.2, 1H), 8.05 (s, 1H), 7.97 (d, *J*=7.9, 2H), 7.70 – 7.61 (m, 3H), 7.56 (t, *J*=7.3, 1H), 7.46 (t, *J*=8.0, 1H), 7.41 (t, *J*=7.6, 2H), 7.17 (d, *J*=8.3, 2H), 4.56 (d, *J*=4.0, 1H), 4.19 (d, *J*=4.0, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.51, 148.43, 145.02, 135.92, 135.75, 135.64, 134.36, 133.78, 129.77, 129.72, 129.07, 128.89, 127.82, 123.77, 122.26, 50.70, 45.26, 21.65.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 19.84 min, t_r (minor) = 14.71 min, *ee* = 99%.

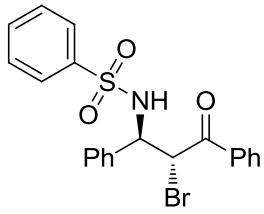
$[\alpha]^{25}_D$ = -28.5 (*c* = 0.46, in CH_2Cl_2).





	Retention Time	Area	% Area
1	14.710	203178	0.51
2	19.841	39395966	99.49

***N*-(2-bromo-3-oxo-1,3-diphenylpropyl)benzenesulfonamide (6g):**



6g

Prepared according to the general precedure. The tittle compound **6g** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 92% yield (reaction time: 4h).

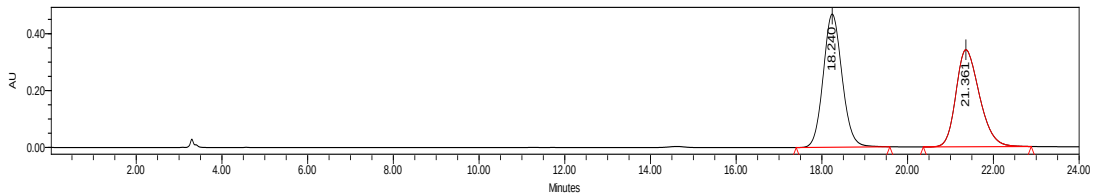
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 20.97 min, t_r (minor) = 18.09 min, *ee* = 97%.

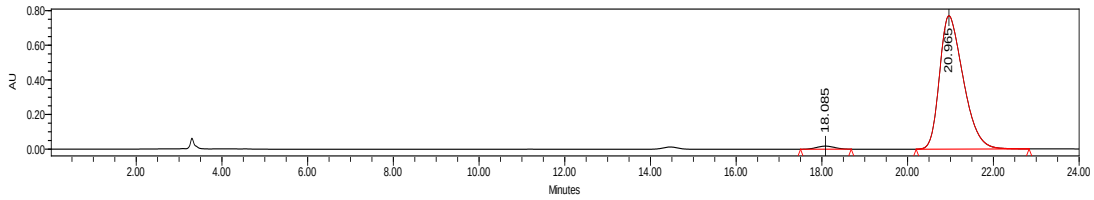
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.77 (d, *J*=7.5, 2H), 7.71 (d, *J*=7.5, 2H), 7.54 (t, *J*=7.4, 1H), 7.46 – 7.35 (m, 3H), 7.30 (t, *J*=7.7, 2H), 7.23 – 7.05 (m, 5H), 6.87 (d, *J*=9.2, 1H), 5.44 (d, *J*=4.7, 1H), 5.13 (dd, *J*=9.1, 4.7, 1H).

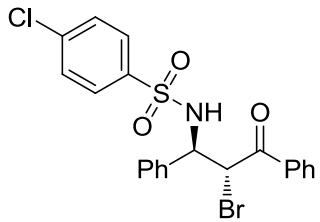
^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.88, 140.74, 136.61, 134.34, 134.22, 132.29, 128.85, 128.74, 128.66, 128.62, 128.23, 127.29, 127.04, 60.88, 45.77.

$[\alpha]^{25}_D$ = -67.9 (*c* = 0.94, in CH_2Cl_2).





	Retention Time	Area	% Area
1	18.085	526480	1.75
2	20.965	29537444	98.25



6h

***N*-(2-bromo-3-oxo-1,3-diphenylpropyl)-4-chlorobenzenesulfonamide (6h):**

Prepared according to the general precedure. The tittle compound **6h** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 91% yield (reaction time: 4h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min,

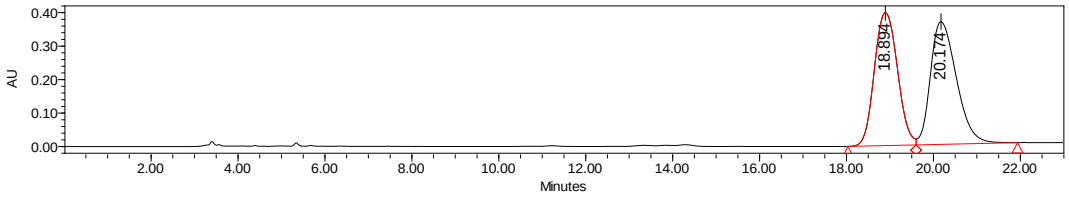
λ = 254 nm), t_r (major) = 20.13 min, t_r (minor) = 18.96 min, *ee* = 98%.

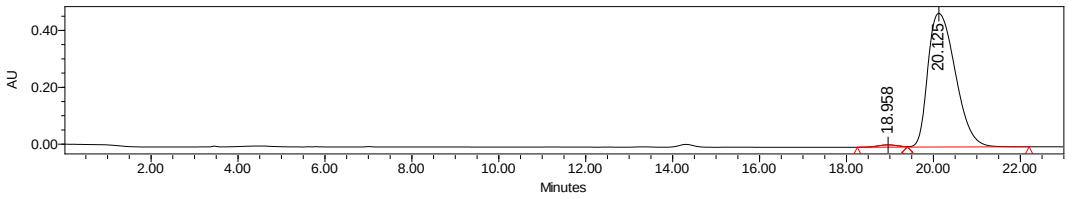
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.67 (t, *J*=13.1, 2H), 7.51 (d, *J*=7.5, 2H), 7.45 (t, *J*=7.3, 1H), 7.29 (t, *J*=7.4, 2H), 7.02 – 7.16(m, 7H), 6.91 (d, *J*=9.1, 1H), 5.36 (d, *J*=4.5, 1H), 5.10 – 4.98 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.77, 139.25, 138.66, 136.52, 134.41, 134.12, 128.87, 128.82, 128.78, 128.73, 128.51, 128.35, 127.39, 60.87, 45.61.

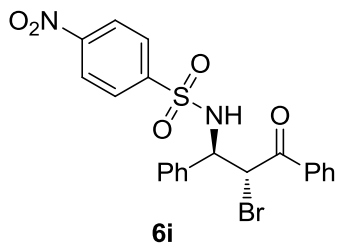
$[\alpha]^{25}_D$ = -70.8 (*c* = 0.89, in CH_2Cl_2).





	Retention Time	Area	% Area
1	18.958	258177	1.24
2	20.125	20623895	98.76

_N_-(2-bromo-3-oxo-1,3-diphenylpropyl)-4-nitrobenzenesulfonamide (6i):



6i

Prepared according to the general precedure. The tittle compound **6i** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 2h; Used 2 mL CH_2Cl_2).

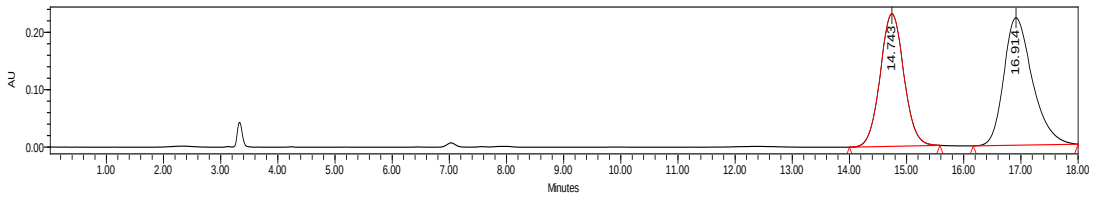
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 16.50 min, t_r (minor) = 14.55 min, *ee* = 96%.

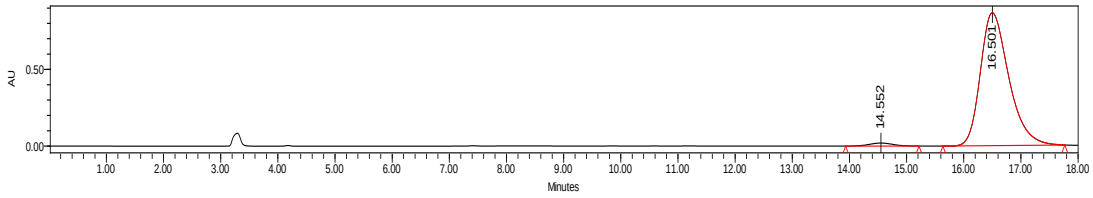
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.13 (d, *J*=8.8, 2H), 7.86 (d, *J*=8.9, 2H), 7.77 (d, *J*=7.5, 2H), 7.56 (t, *J*=7.4, 1H), 7.40 (t, *J*=7.8, 2H), 7.24 (d, *J*=9.2, 1H), 7.15 (dd, *J*=6.9, 4.2, 5H), 5.44 (d, *J*=4.5, 1H), 5.16 (dd, *J*=9.2, 4.4, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 194.12, 149.63, 146.57, 136.19, 134.65, 133.92, 128.94, 128.88, 128.78, 128.63, 128.28, 127.28, 123.82, 61.42, 44.87.

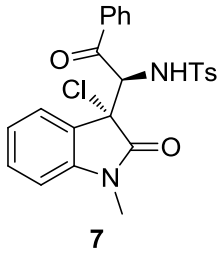
$[\alpha]^{25}_D$ = -67.3 (*c* = 0.65, in CH_2Cl_2).





	Retention Time	Area	% Area
1	14.552	554380	1.82
2	16.501	29882761	98.18

_N_-(1-(3-chloro-1-methyl-2-oxoindolin-3-yl)-2-oxo-2-phenylethyl)-4-methylbenzenesulfonamide (7):



7

Prepared according to the general precedure. The tittle compound **7** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 10 h).

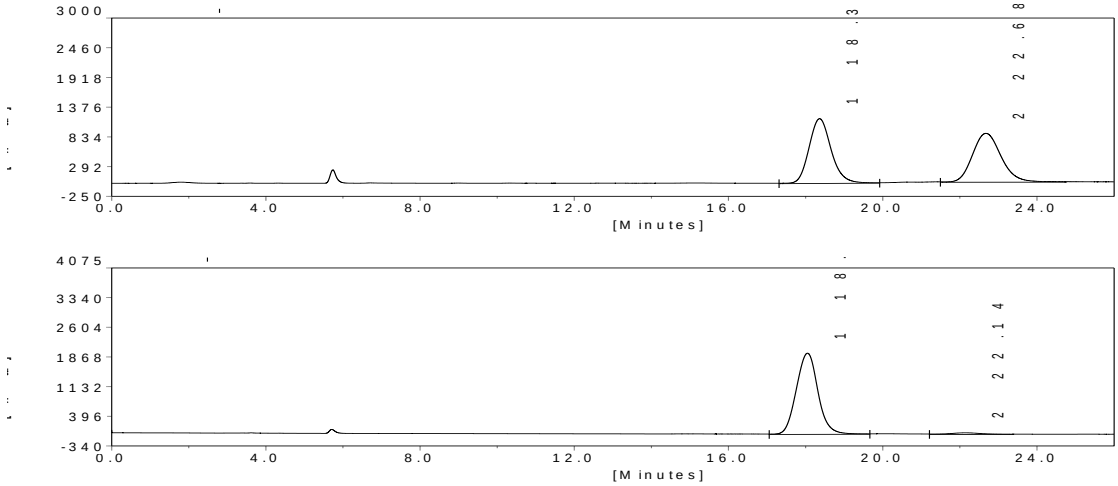
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 18.05 min, t_r (minor) = 22.14 min, *ee* = 96%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (d, *J*=7.6, 2H), 7.56 (t, *J*=8.0, 3H), 7.39 (t, *J*=7.7, 2H), 7.33 (t, *J*=7.8, 1H), 7.27 (d, *J*=6.9, 1H), 7.00 (dd, *J*=17.1, 8.0, 3H), 6.82 (d, *J*=7.8, 1H), 5.95 (d, *J*=10.1, 1H), 5.71 (d, *J*=10.2, 1H), 3.21 (s, 3H), 2.25 (s, 3H).

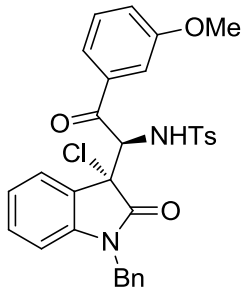
^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.88, 172.01, 143.72, 143.67, 136.54, 135.78, 134.20, 131.32, 129.37, 129.09, 128.46, 127.35, 125.70, 124.77, 123.23, 109.02, 61.83, 58.75, 26.88, 21.43.

$[\alpha]^{25}_D$ = 34.0 (*c* = 0.62, in CH_2Cl_2).



	Retention Time	Area	% Area
1	18.05	77229.77	98.1827
2	22.14	1429.50	1.8173

***N*-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(3-methoxyphenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (2aa):**



2aa

Prepared according to the general precedure. The tittle compound **2aa** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 19.11 min, t_r (minor) = 15.98 min, *ee* = 99%.

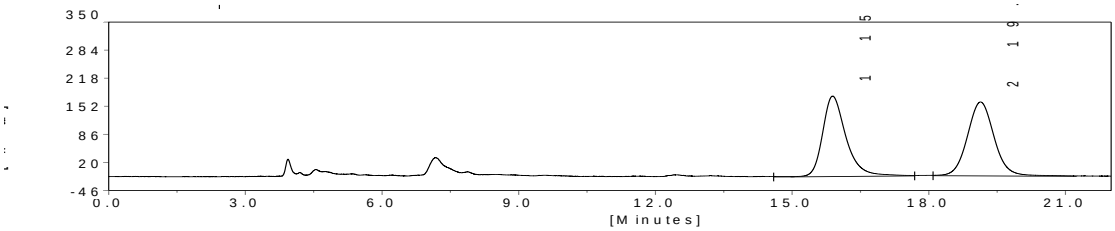
d.r. >19:1 (by ^{1}H NMR).

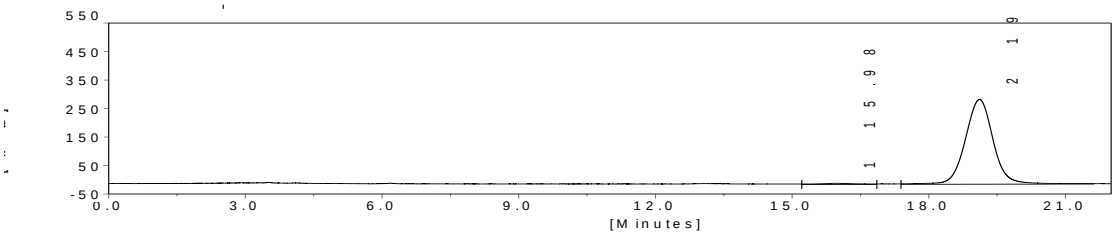
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.60 – 7.42 (m, 3H), 7.36 – 7.15 (m, 7H), 7.14 – 7.08 (m, 2H), 7.04 (d, *J*=7.5, 1H), 6.93 (d, *J*=7.6, 2H), 6.88 (t, *J*=7.5, 1H), 6.58 (d, *J*=7.7, 1H), 5.90 (d, *J*=10.1, 1H), 5.69 (d, *J*=10.1, 1H), 5.00 (d, *J*=15.7, 1H), 4.73 (d, *J*=15.8, 1H), 3.72 (s, 3H), 2.17 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 195.77, 172.29, 159.55, 143.79, 142.82, 137.15, 136.42, 134.65, 131.21, 129.47, 129.40, 128.96, 127.91, 127.42, 127.31, 125.84, 124.76, 123.24, 122.33, 120.92, 112.57, 110.20, 61.98, 58.99, 55.45, 44.48, 21.39.

HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 597.1227, Found 597.1226.

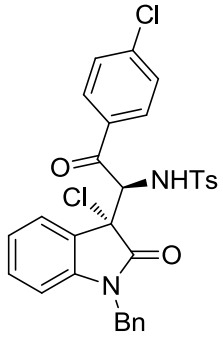
$[\alpha]^{25}_D$ = 34.8 (*c* = 1.12, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.98	83.35	0.6697
2	19.11	12362.14	99.3303

***N*-(1-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-chlorophenyl)-2-oxoethyl)-4-methylbenzenesulfonamide (2ab):**



2ab

Prepared according to the general precedure. The tittle compound **2ab** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 26.21 min, t_r (minor) = 18.36 min, *ee* = 98%.

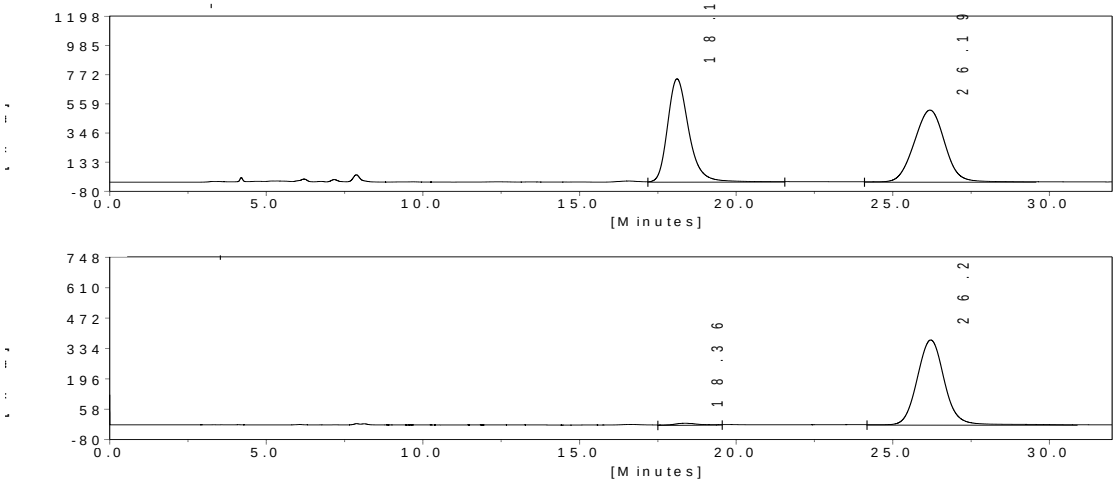
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.78 (d, *J*=8.5, 2H), 7.56 (d, *J*=8.2, 2H), 7.42 – 7.24 (m, 8H), 7.18 (t, *J*=7.5, 1H), 7.01 (d, *J*=8.0, 2H), 6.95 (t, *J*=7.6, 1H), 6.66 (d, *J*=7.9, 1H), 5.96 (d, *J*=10.3, 1H), 5.72 (d, *J*=10.4, 1H), 5.06 (d, *J*=15.8, 1H), 4.78 (d, *J*=15.8, 1H), 2.24 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 194.86, 172.17, 144.01, 142.85, 140.93, 136.34, 134.60, 134.14, 131.34, 130.54, 129.48, 128.99, 128.79, 127.95, 127.44, 127.31, 125.80, 124.58, 123.32, 110.28, 61.99, 58.71, 44.50, 21.44.

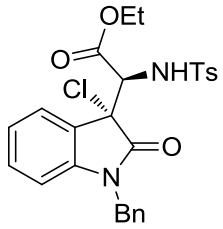
HRMS (ESI-TOF) calcd for $C_{30}H_{24}{}^{34.9689}Cl_2N_2O_4S$ ([M+Na^+]) = 601.0732, Found 601.0739.

$[\alpha]^{25}_D$ = 55.9 (*c* = 1.12, in CH_2Cl_2).



	Retention Time	Area	% Area
1	18.36	285.54	1.2271
2	26.21	22983.28	98.7729

Ethyl 2-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (2ac):



2ac

Prepared according to the general precedure. The tittle compound **2ac** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 31.81 min, t_r (minor) = 11.84 min, *ee* = 99%.

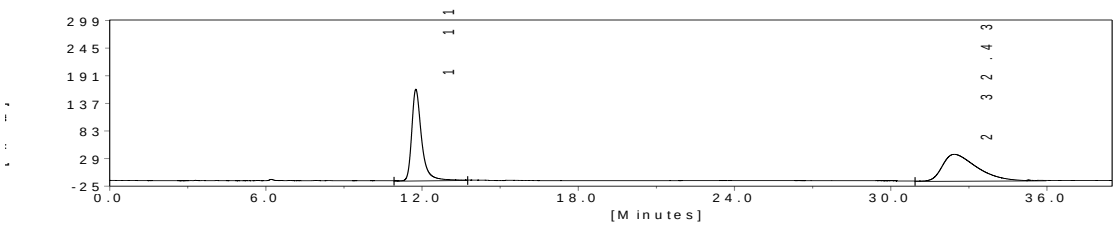
d.r. >19:1 (by ^{1}H NMR).

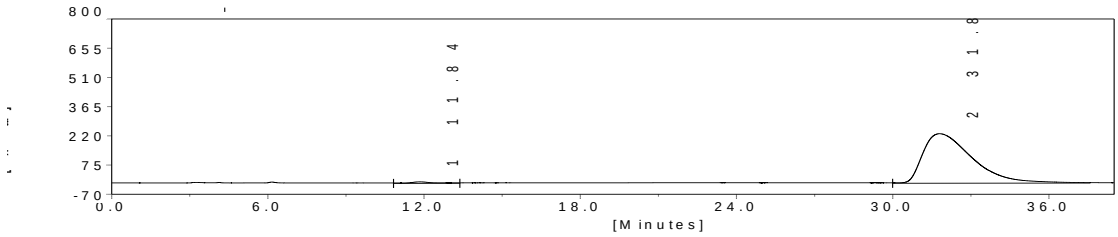
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.67 (d, *J*=7.9, 2H), 7.33 (d, *J*=7.5, 1H), 7.29 – 7.12 (m, 8H), 6.98 (t, *J*=7.6, 1H), 6.60 (d, *J*=7.8, 1H), 5.92 (d, *J*=10.4, 1H), 4.92 (d, *J*=15.7, 1H), 4.71 (d, *J*=15.7, 1H), 4.65 (d, *J*=10.4, 1H), 3.74 (dq, *J*=14.3, 7.1, 1H), 3.61 (dq, *J*=14.4, 7.1, 1H), 2.33 (s, 3H), 0.81 (t, *J*=7.0, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 171.89, 167.75, 143.93, 142.53, 136.67, 134.56, 131.34, 129.56, 128.94, 127.96, 127.53, 127.31, 125.62, 124.97, 123.49, 110.19, 62.10, 61.45, 60.92, 44.40, 21.58, 13.49.

HRMS (ESI-TOF) calcd for $C_{26}H_{25}{}^{34.9689}ClN_2O_5S$ ([M+Na^+]) = 535.1070, Found 535.1072.

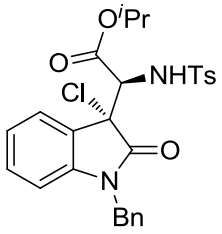
$[\alpha]^{25}_D$ = 31.1 (*c* = 1.09, in CH_2Cl_2).





	Retention Time	Area	% Area
1	11.84	201.10	0.6347
2	31.81	31482.80	99.3653

Isopropyl 2-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (2ad):



2ad

Prepared according to the general precedure. The tittle compound **2ad** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 50.63 min, t_r (minor) = 11.82 min, *ee* = 99%.

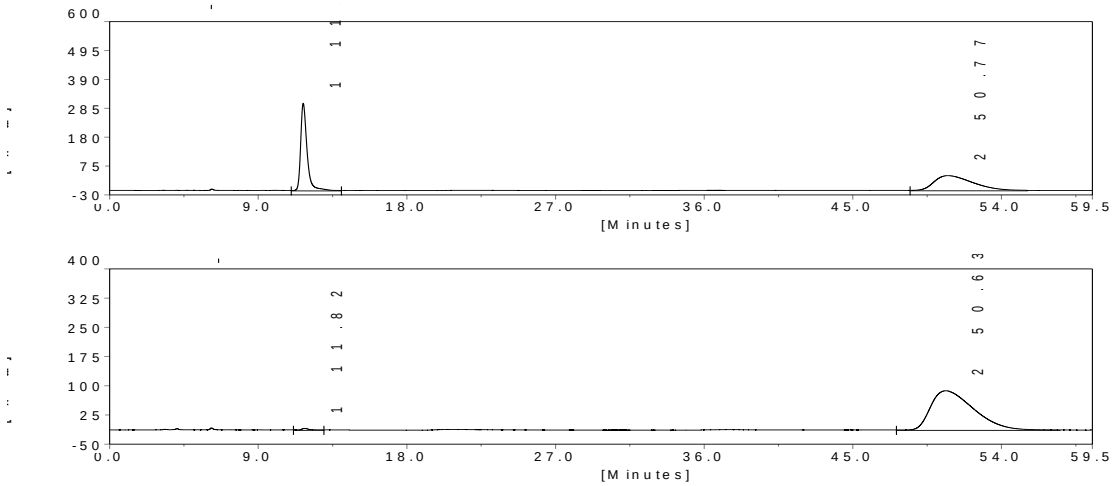
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.68 (d, *J*=7.8, 2H), 7.32 (d, *J*=7.4, 1H), 7.28 – 7.11 (m, 8H), 6.98 (t, *J*=7.6, 1H), 6.59 (d, *J*=7.8, 1H), 6.01 (d, *J*=10.3, 1H), 4.90 (d, *J*=15.7, 1H), 4.72 (d, *J*=15.7, 1H), 4.61 (d, *J*=10.3, 1H), 4.48 (dt, *J*=12.2, 6.1, 1H), 2.32 (s, 3H), 0.84 (d, *J*=6.1, 3H), 0.74 (d, *J*=6.1, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 170.88, 166.24, 142.82, 141.48, 135.79, 133.50, 130.26, 128.56, 127.89, 126.89, 126.44, 126.24, 124.61, 124.01, 122.41, 109.15, 69.31, 60.50, 59.93, 43.33, 20.51, 20.02, 19.89.

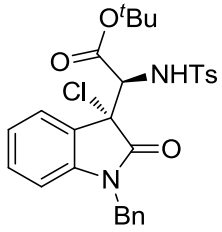
HRMS (ESI-TOF) calcd for $C_{27}H_{27}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 549.1227, Found 549.1232.

$[\alpha]^{25}_D$ = 39.2 (*c* = 1.11, in CH_2Cl_2).



	Retention Time	Area	% Area
1	11.82	95.86	0.5300
2	50.63	17991.71	99.4700

***Tert*-butyl 2-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (2ae):**



2ae

Prepared according to the general precedure. The tittle compound **2ae** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to

afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 106.62 min, t_r (minor) = 13.09 min, *ee* = 99%.

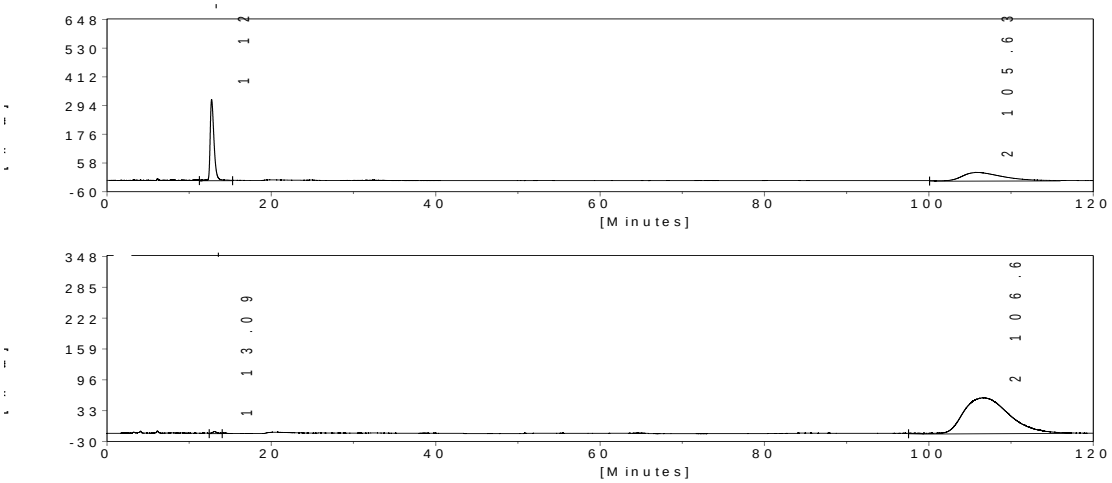
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.70 (d, *J*=7.8, 2H), 7.32 (d, *J*=7.5, 1H), 7.30 – 7.18 (m, 7H), 7.15 (t, *J*=7.8, 1H), 6.98 (t, *J*=7.5, 1H), 6.58 (d, *J*=7.8, 1H), 6.11 (d, *J*=10.2, 1H), 4.92 (d, *J*=15.7, 1H), 4.71 (d, *J*=15.7, 1H), 4.56 (d, *J*=10.3, 1H), 2.32 (s, 3H), 0.94 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 172.03, 166.76, 143.78, 142.54, 137.12, 134.52, 131.26, 129.64, 128.90, 127.92, 127.49, 127.32, 125.72, 125.20, 123.34, 110.20, 83.46, 61.58, 44.37, 27.19, 21.53.

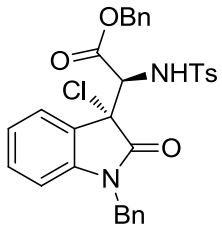
HRMS (ESI-TOF) calcd for $C_{28}H_{29}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 563.1383, Found 563.1382.

$[\alpha]^{25}_D$ = 39.1 (*c* = 1.29, in CH_2Cl_2).



	Retention Time	Area	% Area
1	13.09	94.32	0.3467
2	106.62	27109.68	99.6533

Benzyl 2-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (2af):



2af

Prepared according to the general precedure. The tittle compound **2af** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 22.70 min, t_r (minor) = 17.05 min, *ee* = 99%.

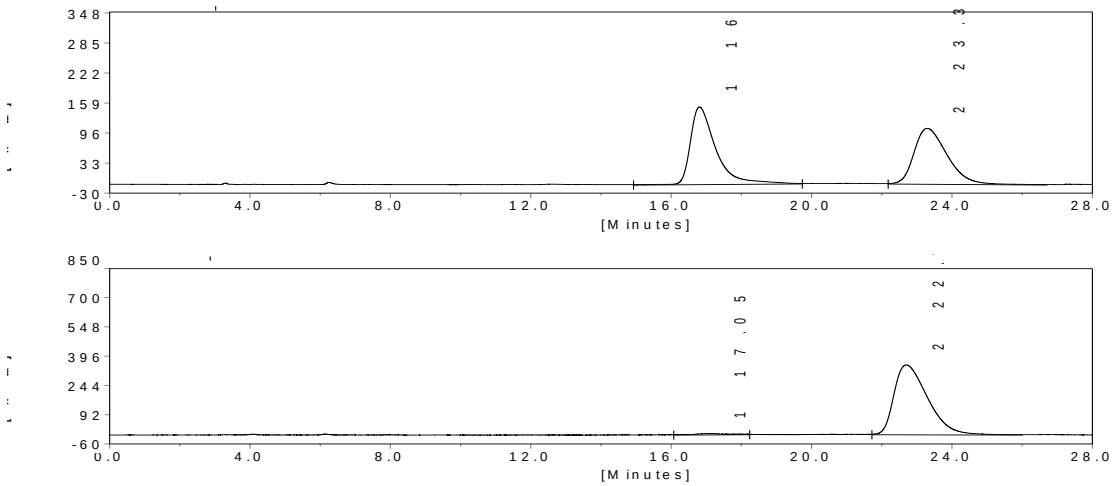
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.65 (d, *J*=8.0, 2H), 7.27 – 7.12 (m, 11H), 7.09 (t, *J*=7.8, 1H), 6.96 (d, *J*=6.8, 2H), 6.89 (t, *J*=7.6, 1H), 6.51 (d, *J*=7.8, 1H), 6.04 (d, *J*=10.3, 1H), 4.78 – 4.61 (m, 4H), 4.57 (d, *J*=12.1, 1H), 2.31 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 171.86, 167.52, 143.89, 142.35, 136.66, 134.55, 134.15, 131.33, 129.61, 128.94, 128.57, 128.46, 127.95, 127.49, 127.27, 125.47, 124.81, 123.56, 110.24, 67.81, 61.41, 61.02, 44.25, 21.63.

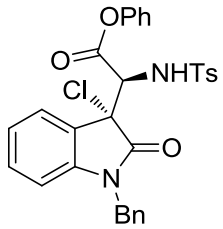
HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 597.1227, Found 597.1234.

$[\alpha]^{25}_D$ = 16.4 (*c* = 1.21, in CH_2Cl_2).



	Retention Time	Area	% Area
1	17.05	128.41	0.5392
2	22.70	23685.28	99.4608

Phenyl 2-(1-benzyl-3-chloro-2-oxoindolin-3-yl)-2-(4-methylphenylsulfonamido)acetate (2ag):



2ag

Prepared according to the general precedure. The tittle compound **2ag** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.96 min, t_r (minor) = 11.45 min, *ee* = 97%.

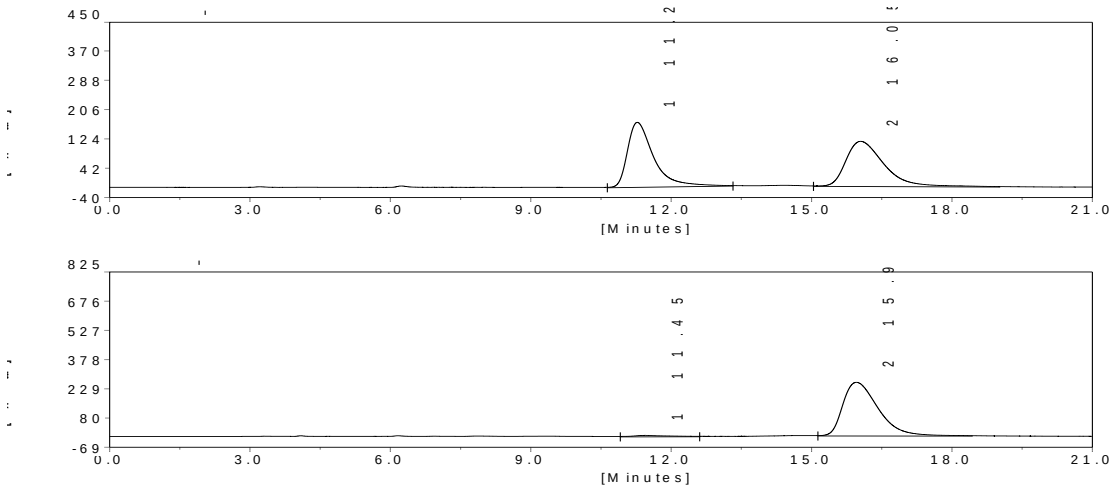
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.74 (d, *J*=8.1, 2H), 7.42 (d, *J*=7.5, 1H), 7.15 (tdd, *J*=20.0, 12.9, 7.5, 11H), 7.01 (t, *J*=7.6, 1H), 6.63 (d, *J*=7.9, 1H), 6.34 (d, *J*=7.6, 2H), 6.15 (d, *J*=10.3, 1H), 4.92 (d, *J*=16.0, 1H), 4.88 (d, *J*=10.5, 1H), 4.70 (d, *J*=15.8, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 171.68, 166.73, 149.64, 144.20, 142.59, 136.61, 134.37, 131.60, 129.87, 129.35, 128.95, 127.96, 127.62, 127.25, 126.48, 125.81, 124.77, 123.75, 120.77, 110.45, 61.47, 61.03, 44.49, 21.58.

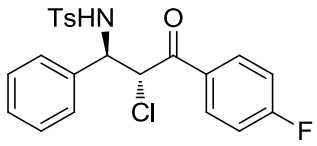
HRMS (ESI-TOF) calcd for $C_{30}H_{25}{}^{34.9689}ClN_2O_5S$ ([M]+Na^+) = 583.1070, Found 583.1078.

$[\alpha]^{25}_D$ = 5.1 (*c* = 1.25, in CH_2Cl_2).



	Retention Time	Area	% Area
1	11.45	199.01	1.3985
2	15.96	14031.38	98.6015

***N*-(2-chloro-3-(4-fluorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4aa):**



4aa

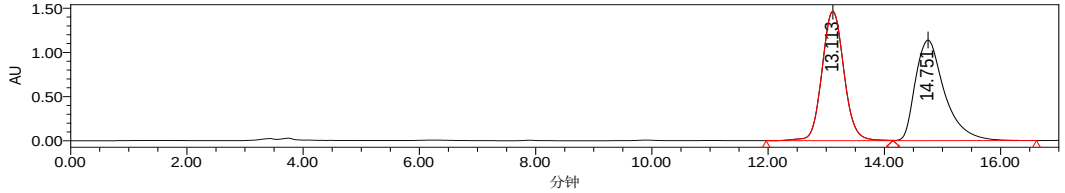
Prepared according to the general precedure. The tittle compound **4aa** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 20 h). HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 14.72 min, t_r (minor) = 13.15 min, *ee* = 99%.

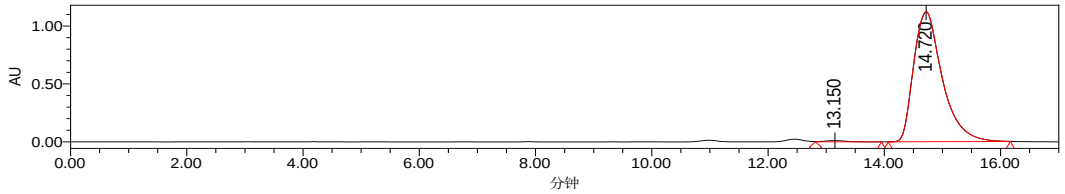
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.81 (dd, *J*=8.6, 5.4, 2H), 7.56 (d, *J*=8.1, 2H), 7.13 (s, 5H), 7.10 – 7.00 (m, 4H), 6.53 (d, *J*=9.0, 1H), 5.39 (d, *J*=5.3, 1H), 5.10 (dd, *J*=9.0, 5.3, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 191.68, 167.57, 165.01, 143.17, 137.52, 136.13, 131.74, 131.64, 130.86, 130.83, 129.25, 128.52, 128.21, 127.41, 127.07, 116.18, 115.96, 60.39, 57.36, 21.43.

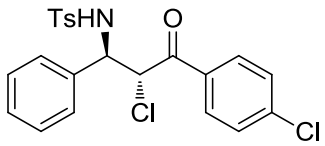
$[\alpha]^{25}_D$ = -75.9 (*c* = 1.79, in CH_2Cl_2).





	Retention Time	Area	% Area
1	13.150	282350	0.73
2	14.720	38261022	99.27

***N*-(2-chloro-3-(4-chlorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4ab):**



4ab

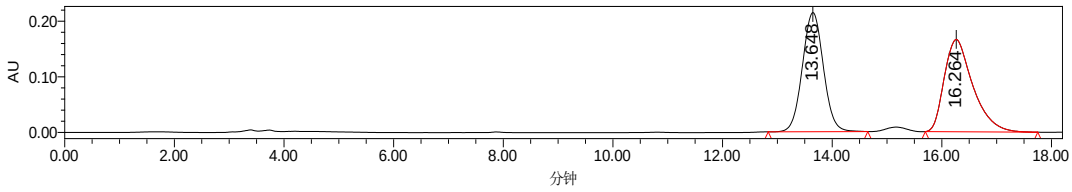
Prepared according to the general precedure. The tittle compound **4ab** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 20 h). HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.82 min, t_r (minor) = 13.28 min, *ee* = 96%.

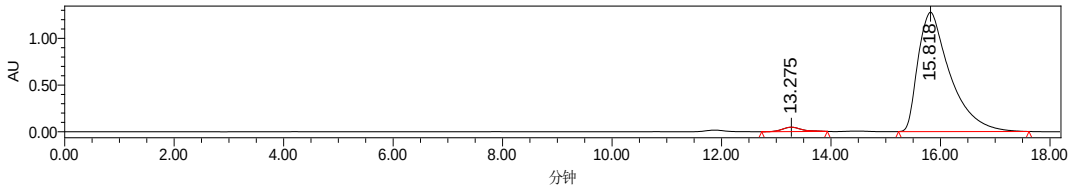
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.72 (d, *J*=8.3, 2H), 7.55 (d, *J*=8.0, 2H), 7.34 (d, *J*=8.3, 2H), 7.13 (s, 5H), 7.07 (d, *J*=8.0, 2H), 6.50 (d, *J*=9.1, 1H), 5.37 (d, *J*=5.4, 1H), 5.10 (dd, *J*=9.0, 5.4, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.07, 143.21, 140.89, 137.48, 136.08, 132.76, 130.25, 129.27, 129.18, 128.55, 128.26, 127.42, 127.08, 60.31, 57.46, 21.45.

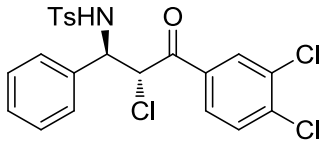
$[\alpha]^{25}_D$ = -61.0 (*c* = 1.84, in CH_2Cl_2).





	Retention Time	Area	% Area
1	13.275	1151365	2.17
2	15.818	51816890	97.83

***N*-(2-chloro-3-(3,4-dichlorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (4ac):**



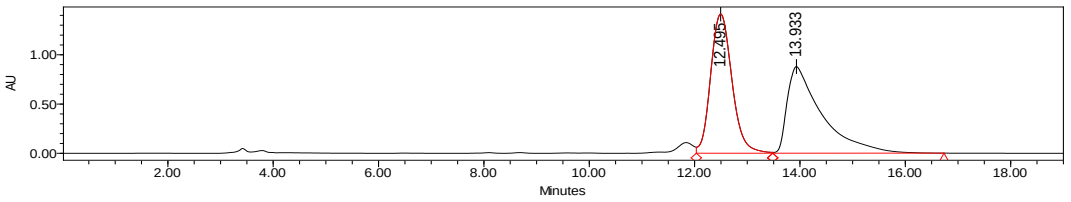
4ac

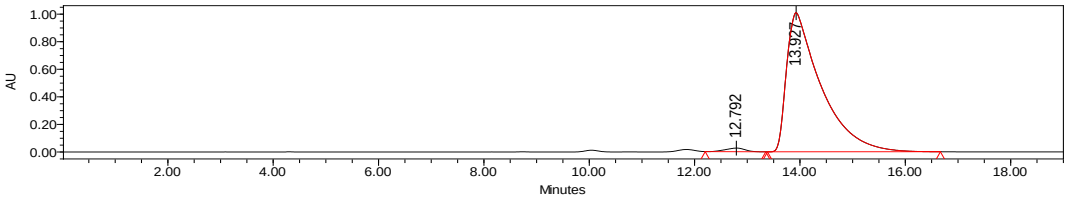
Prepared according to the general precedure. The tittle compound **4ac** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 20 h). HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 13.93 min, t_r (minor) = 12.79 min, *ee* = 97%. d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (s, 1H), 7.61 (d, *J*=8.4, 1H), 7.55 (d, *J*=7.8, 2H), 7.46 (d, *J*=8.4, 1H), 7.15 (s, 5H), 7.08 (d, *J*=7.9, 2H), 6.38 (d, *J*=9.0, 1H), 5.32 (d, *J*=5.6, 1H), 5.09 (dd, *J*=8.9, 5.8, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 191.08, 143.33, 139.01, 137.35, 135.95, 133.93, 133.65, 130.91, 130.71, 129.30, 128.62, 128.38, 127.79, 127.41, 127.07, 60.16, 57.46, 21.47.

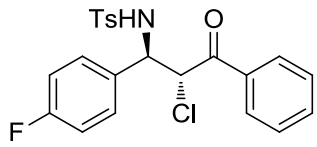
$[\alpha]^{25}_D$ = -52.7 (*c* = 1.24, in CH_2Cl_2).





	Retention Time	Area	% Area
1	12.792	681617	1.47
2	13.927	45830977	98.53

***N*-(2-chloro-1-(4-fluorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (4ad):**



4ad

Prepared according to the general precedure. The tittle compound **4ad** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 20 h).

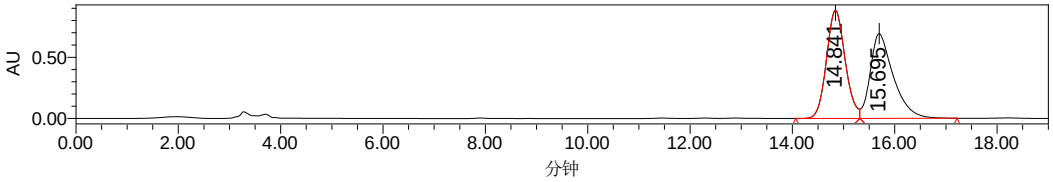
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.59 min, t_r (minor) = 14.97 min, *ee* = 99%.

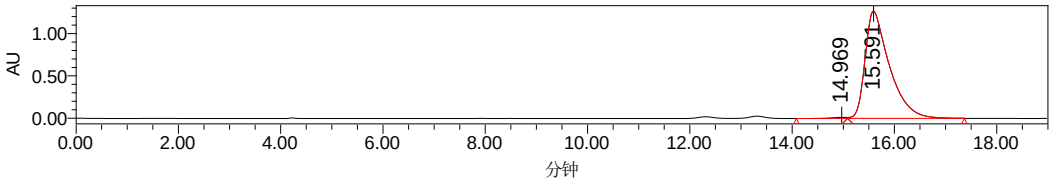
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.79 (d, *J*=7.6, 2H), 7.57 (t, *J*=7.9, 3H), 7.41 (t, *J*=7.7, 2H), 7.17 – 7.08 (m, 4H), 6.82 (t, *J*=8.5, 2H), 6.49 (d, *J*=8.7, 1H), 5.41 (d, *J*=5.0, 1H), 5.09 (dd, *J*=8.8, 5.0, 1H), 2.35 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.11, 162.38 (d, *J*=247.8), 143.35, 137.52, 134.46, 134.30, 132.02 (d, *J*=3.2), 129.34, 129.32, 129.26, 128.93, 128.84, 127.10, 115.55, 115.34, 59.76, 57.50, 21.45.

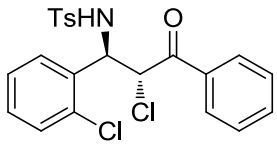
$[\alpha]^{25}_D$ = -69.1 (*c* = 1.71, in CH_2Cl_2).





	Retention Time	Area	% Area
1	14.969	260986	0.62
2	15.591	41755539	99.38

***N*-(2-chloro-1-(2-chlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (4ae):**



4ae

Prepared according to the general precedure. The tittle compound **4ae** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 20 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 21.49 min, t_r (minor) = 17.64 min, *ee* = 97%.

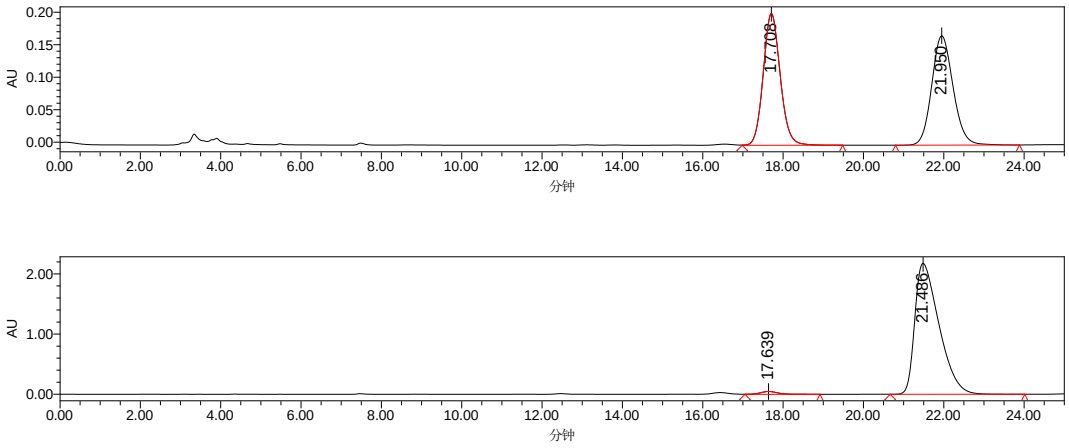
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.76 (d, *J*=7.9, 2H), 7.63 (d, *J*=7.7, 2H), 7.53 (t, *J*=7.3, 1H), 7.38 (t, *J*=7.5, 2H), 7.31 (d, *J*=7.7, 1H), 7.22 (d, *J*=7.8, 1H), 7.12 (d, *J*=7.8, 2H), 7.07 (t, *J*=7.6, 1H), 7.01 (t, *J*=7.5, 1H), 6.72 (d, *J*=8.6, 1H), 5.56 (d, *J*=4.9, 1H), 5.43 (dd, *J*=8.6, 4.9, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.49, 143.25, 137.26, 134.47, 134.35, 133.75, 132.43, 129.94, 129.58, 129.49, 129.30, 128.85, 128.81, 127.13, 127.09, 57.85, 53.55, 21.46.

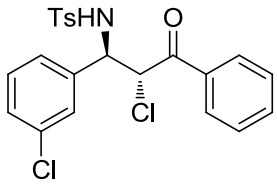
$[\alpha]^{25}_D$ = -84.8 (*c* = 1.52, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{22}H_{19}{}^{34.9689}Cl_2NO_3S$ ($[M]+K^+$) = 486.0100, Found 486.0095.



	Retention Time	Area	% Area
1	17.639	1372262	1.49
2	21.486	90946162	98.51

***N*-(2-chloro-1-(3-chlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (4af):**



4af

Prepared according to the general precedure. The tittle compound **4af** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 99% yield (reaction time: 20 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 11.53 min, t_r (minor) =10.64 min, *ee* = 99%.

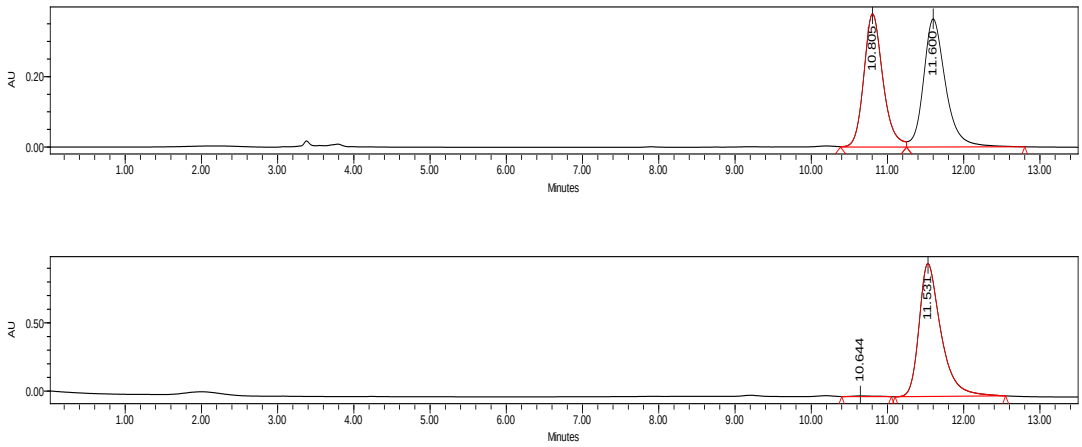
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.81 (d, *J*=7.6, 2H), 7.55 (d, *J*=8.2, 3H), 7.40 (t, *J*=7.7, 2H), 7.15 – 7.00 (t, *J*=8.5, 6H), 6.56 (d, *J*=9.1, 1H), 5.40 (d, *J*=5.4, 1H), 5.08 (dd, *J*=9.1, 5.4, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.83, 143.45, 138.12, 137.29, 134.46, 134.38, 134.23, 129.77, 129.34, 128.91, 128.33, 127.86, 127.03, 125.79, 59.87, 57.15, 21.46.

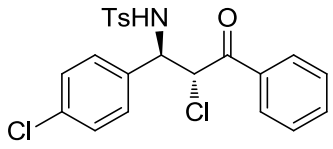
$[\alpha]^{25}_D$ = -65.8 (*c* = 1.82, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{22}H_{19}{}^{34.9689}Cl_2NO_3S$ ([M]+K^+) = 486.0100, Found 486.0107.



	Retention Time	Area	% Area
1	10.644	103594	0.53
2	11.531	19388166	99.47

***N*-(2-chloro-1-(4-chlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (4ag):**



4ag

Prepared according to the general precedure. The tittle compound **4ag** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 98% yield (reaction time: 20 h).

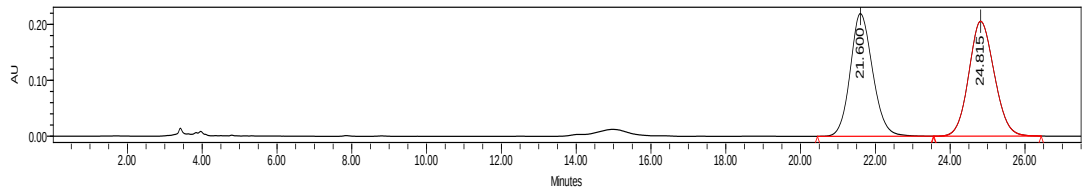
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 21.49 min, t_r (minor) = 24.97 min, *ee* = 99%.

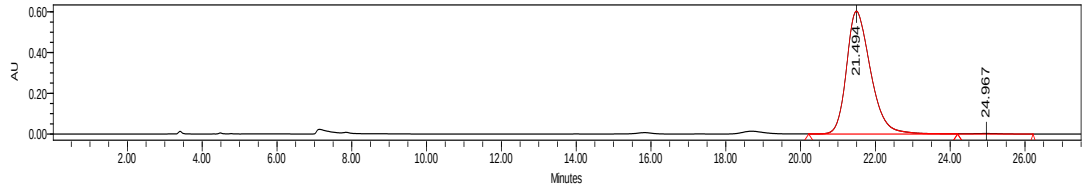
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.79 (d, *J*=7.7, 2H), 7.56 (t, *J*=6.9, 3H), 7.41 (t, *J*=7.7, 2H), 7.10 (d, 6H), 6.56 (d, *J*=8.9, 1H), 5.41 (d, *J*=5.2, 1H), 5.08 (dd, *J*=9.0, 5.2, 1H), 2.35 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.89, 143.44, 137.39, 134.69, 134.47, 134.21, 134.17, 129.34, 128.99, 128.93, 128.88, 128.63, 127.08, 59.72, 57.33, 21.47.

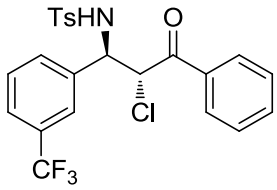
$[\alpha]^{25}_D$ = -57.3 (*c* = 1.89, in CH_2Cl_2).





	Retention Time	Area	% Area
1	21.494	26932252	99.46
2	24.967	146559	0.54

***N*-(2-chloro-3-oxo-3-phenyl-1-(3-(trifluoromethyl)phenyl)propyl)-4-methylbenzenesulfonamide (4ah):**



4ah

Prepared according to the general precedure. The tittle compound **4ah** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 20 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 17.49 min, t_r (minor) = 16.66 min, *ee* = 99%.

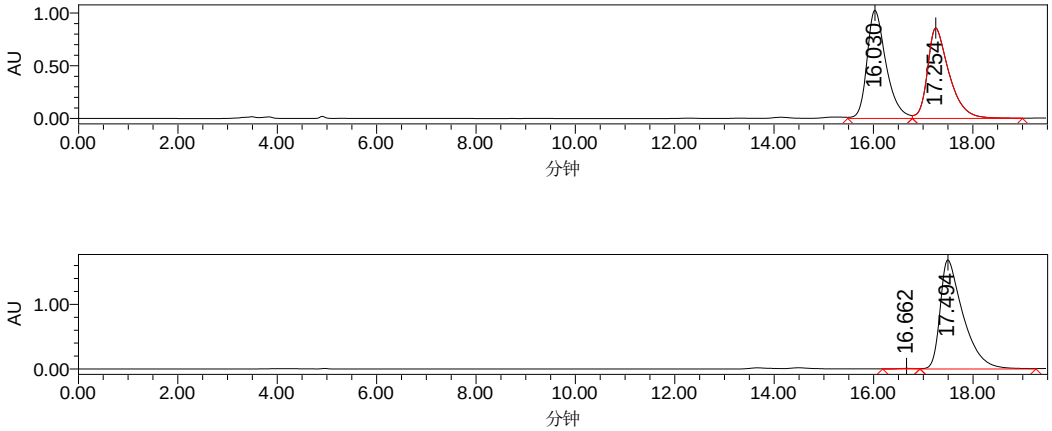
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J*=7.8, 2H), 7.47 (dd, *J*=16.7, 7.9, 3H), 7.36– 7.27 (m, 4H), 7.24 – 7.16 (m, 2H), 6.98 (d, *J*=8.0, 2H), 6.52 (d, *J*=8.5, 1H), 5.37 (d, *J*=5.4, 1H), 5.10 (dd, *J*=8.9, 5.5, 1H), 2.22 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.70, 143.54, 137.19, 137.09, 134.51, 134.16, 131.11, 130.68 (d, *J*=32.5), 129.37, 129.07, 128.94, 128.91, 126.99, 125.03 (q, *J*=3.8), 124.53 (q, *J*=3.8), 59.89, 57.39, 21.35.

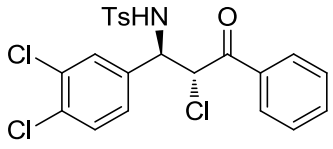
$[\alpha]^{25}_D$ = -58.0 (*c* = 1.81, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{23}H_{19}{}^{34.9689}ClF_3NO_3S$ ($[M]+Na^+$) = 504.0624, Found 504.0623.



	Retention Time	Area	% Area
1	16.662	249006	0.46
2	17.494	54455892	99.54

_N_-(2-chloro-1-(3,4-dichlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (4ai):



4ai

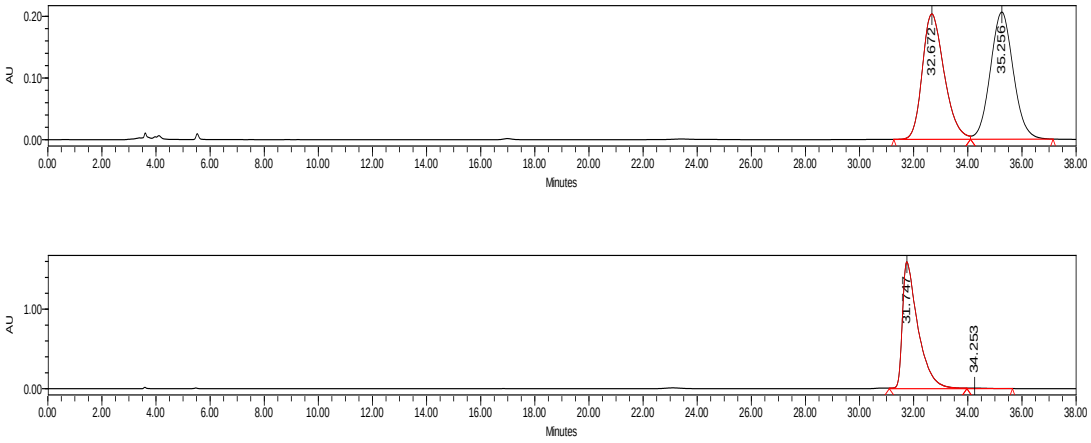
Prepared according to the general precedure. The tittle compound **4ai** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 93% yield (reaction time: 20 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 90/10, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 31.75 min, t_r (minor) = 34.25 min, *ee* = 99%. d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83 (d, *J*=8.0, 2H), 7.62 – 7.50 (m, 3H), 7.43 (t, *J*=7.6, 2H), 7.20 (d, *J*=8.3, 1H), 7.17 – 7.07 (m, 3H), 7.04 (d, *J*=8.3, 1H), 6.52 (d, *J*=8.8, 1H), 5.38 (d, *J*=5.3, 1H), 5.05 (dd, *J*=8.8, 5.3, 1H), 2.36 (s, 3H).

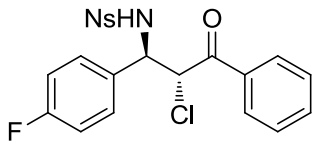
^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.57, 143.72, 137.14, 136.18, 134.60, 134.03, 132.60, 132.48, 130.37, 129.86, 129.38, 128.98, 128.95, 127.01, 59.32, 56.96, 21.47.

$[\alpha]^{25}_D$ = -59.0 (*c* = 1.43, in CH_2Cl_2).



	Retention Time	Area	% Area
1	31.747	63581599	99.50
2	34.253	319456	0.50

***N*-(2-chloro-1-(4-fluorophenyl)-3-oxo-3-phenylpropyl)-4-nitrobenzenesulfonamide (4aj):**



4aj

Prepared according to the general precedure. The tittle compound **4aj** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 93% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.10 min, t_r (minor) = 11.29 min, *ee* = 96%.

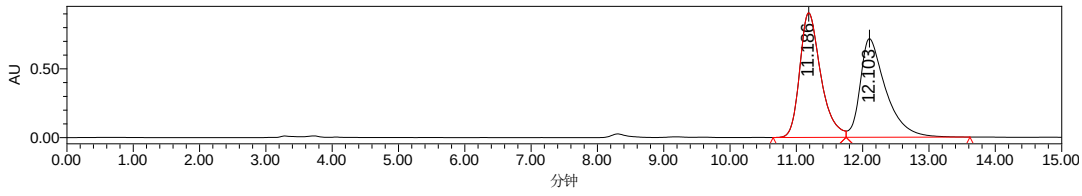
d.r. >19:1 (by ^{1}H NMR).

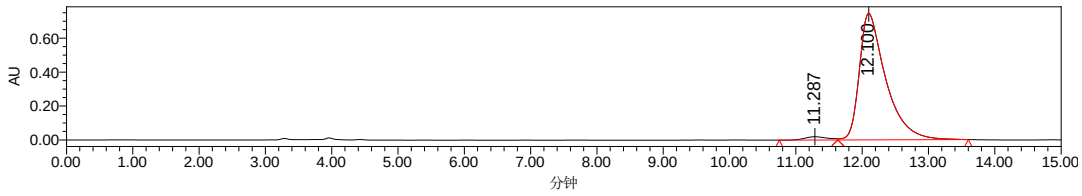
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.21 (d, *J*=8.8, 2H), 7.93 (d, *J*=8.8, 2H), 7.78 (d, *J*=7.5, 2H), 7.59 (t, *J*=7.4, 1H), 7.43 (t, *J*=7.8, 2H), 7.21 (dd, *J*=8.5, 5.2, 2H), 7.00 (d, *J*=8.9, 1H), 6.89 (t, *J*=8.5, 2H), 5.37 (d, *J*=4.5, 1H), 5.14 (dd, *J*=8.9, 4.4, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.67, 163.76, 161.28, 149.80, 146.43, 134.92, 133.88, 131.90, 131.87, 129.12, 129.04, 128.89, 128.31, 123.98, 116.02, 115.80, 60.57, 55.56.

HRMS (ESI-TOF) calcd for $C_{21}H_{16}{}^{34.9689}ClFN_2O_5S$ ([M]+Na^+) = 485.0350, Found 485.0350.

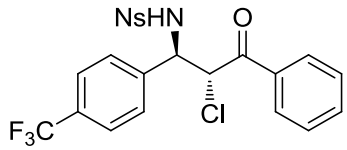
$[\alpha]^{25}_D$ = -66.4 (*c* = 0.83, in CH_2Cl_2).





	Retention Time	Area	% Area
1	11.287	467087	2.22
2	12.100	20611545	97.78

***N*-(2-chloro-3-oxo-3-phenyl-1-(4-(trifluoromethyl)phenyl)propyl)-4-nitrobenzenesulfonamide (4ak):**



4ak

Prepared according to the general precedure. The tittle compound **4ak** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 93% yield (reaction time: 10 h).

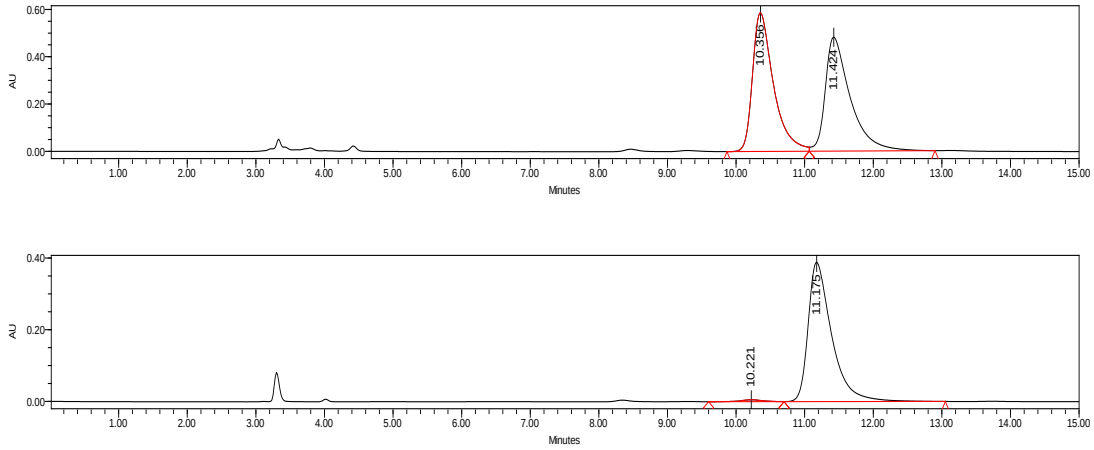
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 11.18 min, t_r (minor) = 10.22 min, *ee* = 98%.

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.21 (d, *J*=8.6, 2H), 7.94 (d, *J*=8.6, 2H), 7.79 (d, *J*=7.8, 2H), 7.60 (t, *J*=7.4, 1H), 7.44 (dt, *J*=15.5, 8.1, 6H), 7.05 (d, *J*=9.0, 1H), 5.42 (d, *J*=4.5, 1H), 5.21 (dd, *J*=8.9, 4.5, 1H).

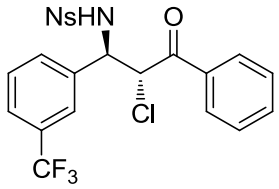
^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.15, 148.83, 145.15, 139.02, 134.01, 132.58, 130.36, 130.03, 129.71, 129.38, 128.03, 127.91, 127.29, 126.79, 124.85, 124.82, 124.78, 124.74, 123.82, 122.98, 121.12, 59.69, 54.39.

$[\alpha]^{25}_D$ = -61.9 (*c* = 0.79, in CH_2Cl_2).



	Retention Time	Area	% Area
1	10.221	112739	1.20
2	11.175	9251258	98.80

***N*-(2-chloro-3-oxo-3-phenyl-1-(3-(trifluoromethyl)phenyl)propyl)-4-nitrobenzenesulfonamide (4al):**



4al

Prepared according to the general precedure. The tittle compound **4al** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 7.71 min, t_r (minor) = 7.23 min, *ee* = 97%.

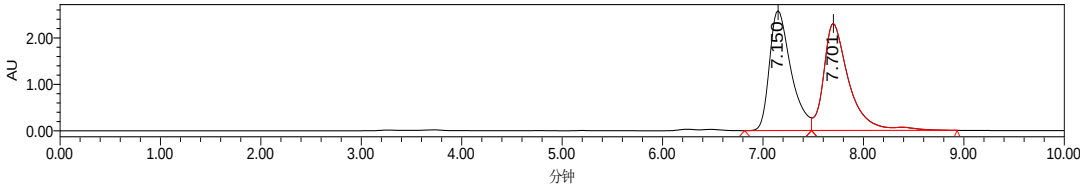
d.r. >19:1 (by ^{1}H NMR).

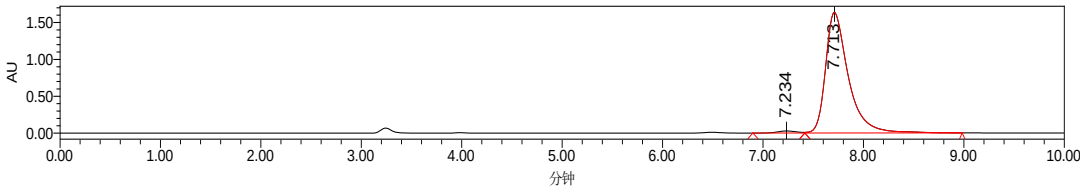
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.17 (d, *J*=8.8, 2H), 7.89 (d, *J*=8.8, 2H), 7.80 (d, *J*=7.4, 2H), 7.60 (t, *J*=7.4, 1H), 7.53 – 7.29 (m, 6H), 7.00 (d, *J*=8.9, 1H), 5.42 (d, *J*=4.7, 1H), 5.22 (dd, *J*=8.9, 4.6, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.27, 149.83, 146.18, 136.94, 135.01, 133.70, 131.33, 131.01, 130.89, 129.52, 129.07, 128.96, 128.23, 125.59, 125.55, 124.77, 124.18, 124.14, 124.01, 122.06, 60.72, 55.58.

HRMS (ESI-TOF) calcd for $C_{22}H_{16}{}^{34.9689}ClF_3N_2O_5S$ ([M]+Na^+) = 535.0318, Found 535.0319.

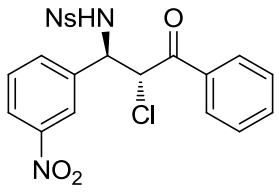
$[\alpha]^{25}_D$ = -47.4 (*c* = 1.00, in CH_2Cl_2).





	Retention Time	Area	% Area
1	7.234	355574	1.36
2	7.713	25694494	98.64

***N*-(2-chloro-1-(3-nitrophenyl)-3-oxo-3-phenylpropyl)-4-nitrobenzenesulfonamide (4am):**



4am

Prepared according to the general precedure. The tittle compound **4am** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 11.60 min, t_r (minor) = 10.55 min, *ee* = 97%.

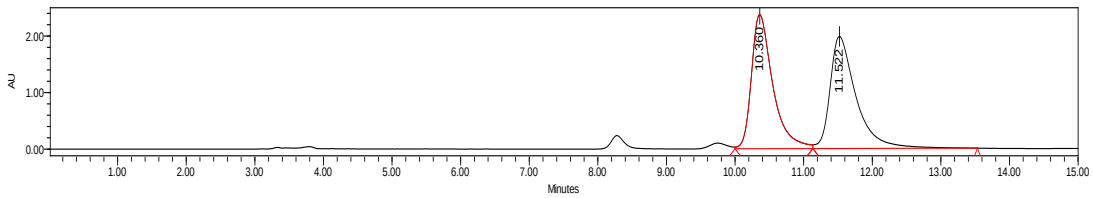
d.r. >19:1 (by ^{1}H NMR).

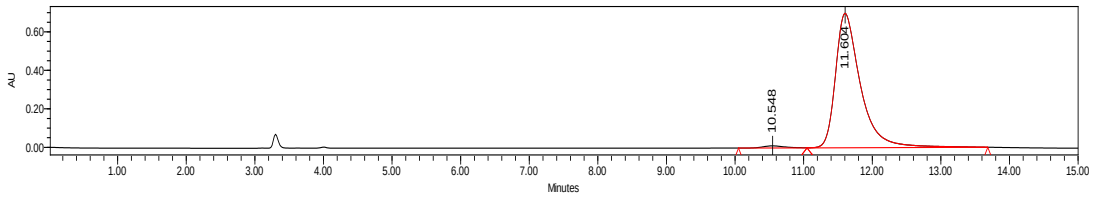
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.26 (d, *J*=8.8, 2H), 8.15 (s, 1H), 8.08 (d, *J*=8.2, 1H), 8.00 (d, *J*=8.8, 2H), 7.81 (d, *J*=7.4, 2H), 7.70 (d, *J*=7.8, 1H), 7.61 (t, *J*=7.4, 1H), 7.45 (dt, *J*=12.4, 7.9, 3H), 7.03 (d, *J*=8.9, 1H), 5.44 (d, *J*=4.7, 1H), 5.25 (dd, *J*=8.9, 4.6, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.94, 149.99, 148.27, 146.03, 138.59, 135.20, 133.44, 130.09, 129.14, 129.03, 128.40, 124.16, 123.67, 122.29, 60.36, 55.51.

HRMS (ESI-TOF) calcd for $C_{21}H_{16}{}^{34.9689}ClN_3O_7S$ ([M]+Na^+) = 512.0295, Found 512.0297.

$[\alpha]^{25}_D$ = -64.3 (*c* = 0.83, in CH_2Cl_2).



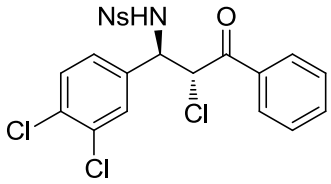


	Retention Time	Area	% Area
1	10.548	234844	1.32
2	11.604	17621489	98.68

***N*-(2-chloro-1-(3,4-dichlorophenyl)-3-oxo-3-phenylpropyl)-4-nitrobenzenesulfonamide (4an):**

Prepared according to the general precedure. The tittle compound **4an** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 92% yield (reaction time: 10 h).

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.94



4an

min, t_r (minor) = 15.22 min, *ee* = 98%.

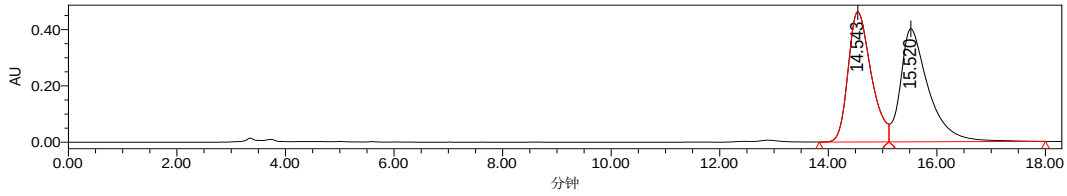
d.r. >19:1 (by ^{1}H NMR).

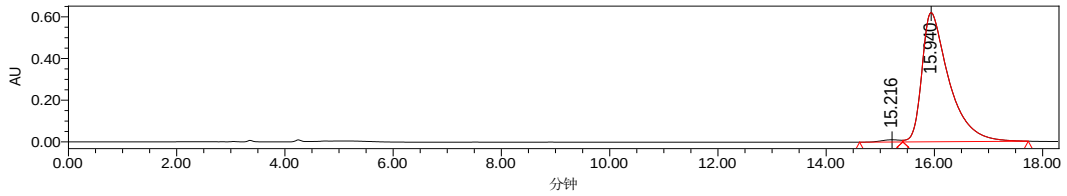
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.23 (d, *J*=8.8, 2H), 7.93 (d, *J*=8.8, 2H), 7.81 (d, *J*=7.4, 2H), 7.61 (t, *J*=7.4, 1H), 7.45 (t, *J*=7.8, 2H), 7.29 (t, *J*=9.0, 2H), 7.13 (dd, *J*=8.3, 1.9, 1H), 6.97 (d, *J*=8.9, 1H), 5.36 (d, *J*=4.7, 1H), 5.10 (dd, *J*=8.9, 4.7, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.14, 149.90, 146.12, 136.17, 135.11, 133.59, 133.11, 130.82, 129.44, 129.11, 129.01, 128.30, 126.73, 124.07, 60.11, 55.30.

HRMS (ESI-TOF) calcd for $C_{21}H_{15}{}^{34.9689}Cl_3N_2O_5S$ ([M]+Na^+) = 534.9665, Found 534.9664.

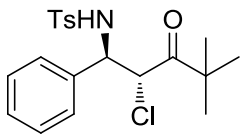
$[\alpha]^{25}_D$ = -61.0 (*c* = 0.89, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.216	254198	1.17
2	15.940	21481550	98.83

N-(2-chloro-4,4-dimethyl-3-oxo-1-phenylpentyl)-4-methylbenzenesulfonamide (4ao):



4ao

Prepared according to the general precedure. The tittle compound **4ao** was purified by silica gel chromatography (petroleum ether : CH_2Cl_2 = 1 : 2) to afford a white solid in 55% yield (reaction time: 24 h).

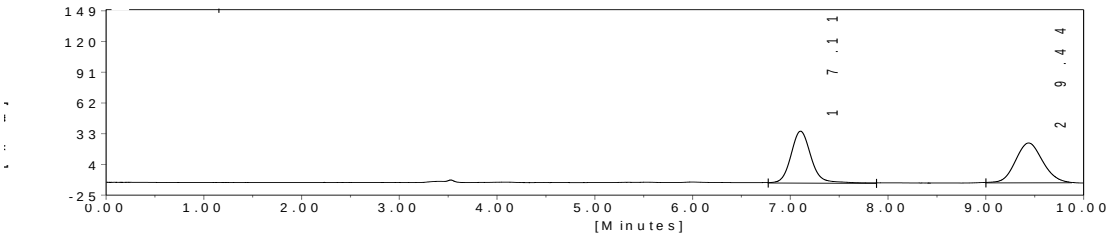
HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 9.25 min, t_r (minor) = 7.05 min, *ee* = 93%.

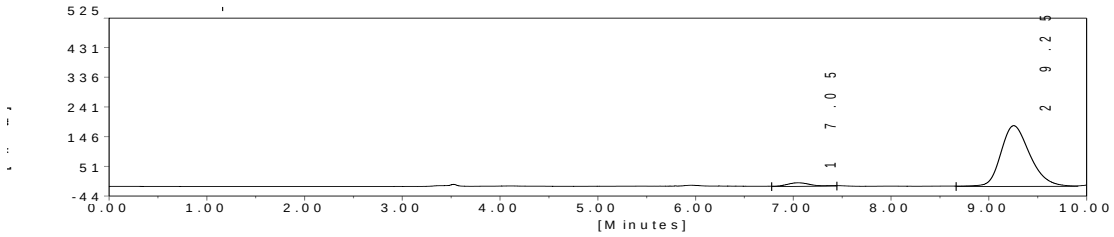
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.61 (d, *J*=8.0, 2H), 7.25 – 7.03 (m, 7H), 6.81 (d, *J*=8.4, 1H), 4.91 (dd, *J*=8.3, 4.7, 1H), 4.73 (d, *J*=4.7, 1H), 2.35 (s, 3H), 0.80 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 210.53, 142.97, 137.88, 136.70, 129.18, 128.68, 128.34, 127.18, 127.13, 60.87, 52.65, 44.92, 25.49, 21.45.

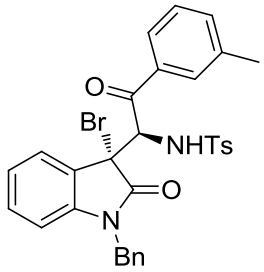
$[\alpha]^{25}_D$ = -38.9 (*c* = 0.18, in CH_2Cl_2).





	Retention Time	Area	% Area
1	7.05	143.58	3.55
2	9.25	3904.53	96.45

_N_-(1-(1-benzyl-3-bromo-2-oxoindolin-3-yl)-2-oxo-2-(m-tolyl)ethyl)-4-methylbenzenesulfonamide (5aa):



5aa

Prepared according to the general precedure. The tittle compound **5aa** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 4 h).

HPLC (Chiralcel ADH, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 44.41 min, t_r (minor) = 23.51 min, *ee* = 97%.

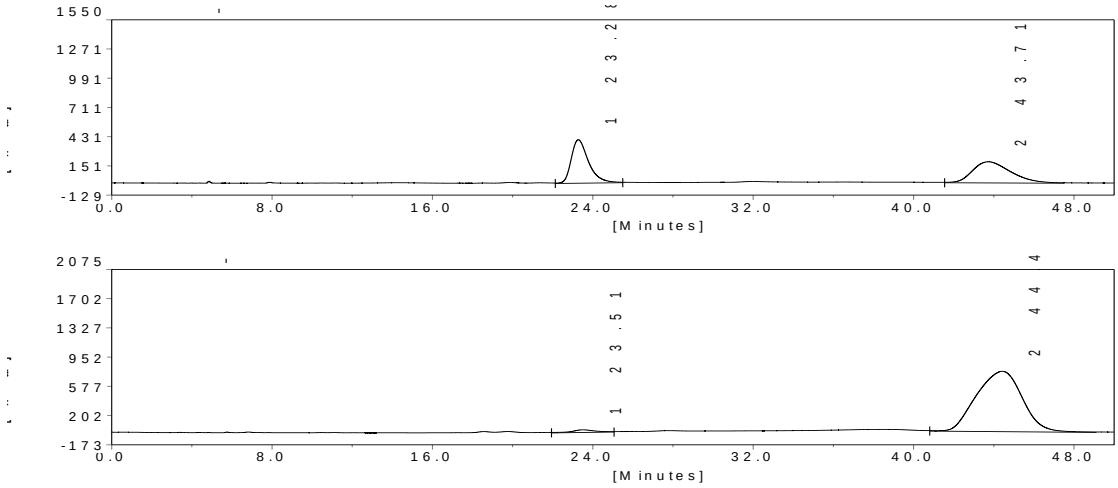
d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.58 (d, *J*=7.6, 1H), 7.48 (d, *J*=8.4, 3H), 7.32 – 7.17 (m, 8H), 7.06 (t, *J*=7.7, 1H), 6.92 (d, *J*=8.1, 2H), 6.84 (t, *J*=7.6, 1H), 6.55 (d, *J*=7.9, 1H), 6.10 (d, *J*=10.1, 1H), 5.75 (d, *J*=10.2, 1H), 4.95 (d, *J*=15.8, 1H), 4.78 (d, *J*=15.8, 1H), 2.29 (s, 3H), 2.16 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 196.11, 172.91, 143.61, 142.30, 138.33, 136.73, 135.85, 134.95, 134.73, 130.94, 129.35, 129.31, 128.95, 128.33, 127.87, 127.34, 127.24, 126.55, 125.93, 125.58, 123.20, 110.23, 58.94, 52.37, 44.42, 21.41, 21.30.

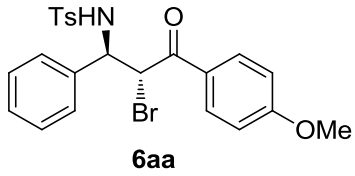
$[\alpha]^{25}_D$ = 97.9 (*c* = 1.16, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{31}H_{27}{}^{78.9183}BrN_2O_4S$ ([M]+Na^+) = 625.0773, Found 625.0775.



	Retention Time	Area	% Area
1	23.51	1736.04	1.4198
2	44.41	120538.76	98.5802

***N*-(2-bromo-3-(4-methoxyphenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (6aa):**



6aa

Prepared according to the general precedure. The tittle compound **6aa** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 4 h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.75 (d, *J*=8.9, 2H), 7.58 (d, *J*=8.2, 2H), 7.24 – 6.98 (m, 7H), 6.92 (d, *J*=9.0, 1H), 6.83 (d, *J*=8.9, 2H), 5.39 (d, *J*=4.7, 1H), 5.07 (dd, *J*=9.0, 4.6, 1H), 3.82 (s, 3H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.30, 164.48, 142.92, 137.90, 136.98, 131.26, 129.16, 128.55, 128.04, 127.33, 127.11, 127.07, 114.07, 60.99, 55.61, 45.70, 21.46.

$[\alpha]^{25}_D$ = -93.2 (*c* = 0.83, in CH_2Cl_2).

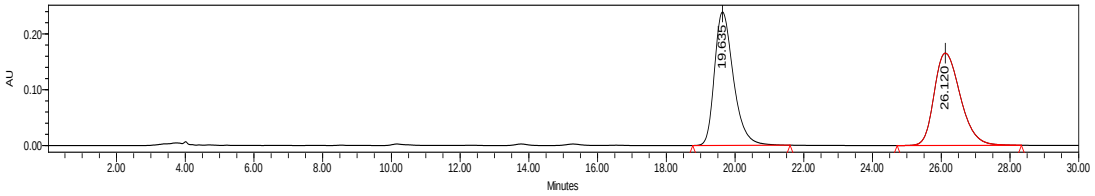
Aziridine-6aa:

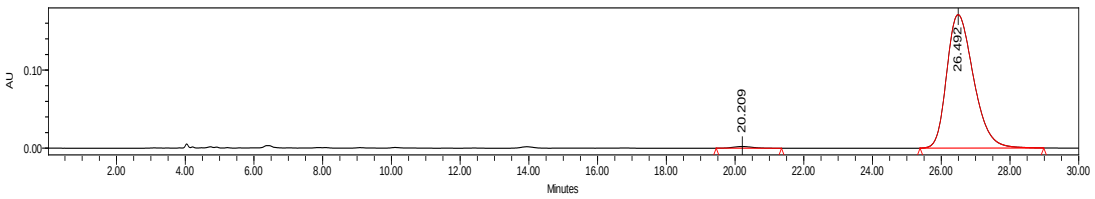
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.97 (d, *J*=8.8, 2H), 7.64 (d, *J*=8.2, 2H), 7.25 (s, 5H), 7.14 (d, *J*=8.1, 2H), 6.87 (d, *J*=8.8, 2H), 4.42 (d, *J*=4.2, 1H), 4.18 (d, *J*=4.2, 1H), 3.80 (s, 3H), 2.31 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 188.48, 164.37, 144.31, 136.69, 133.06, 131.41, 129.49, 129.17, 128.82, 128.61, 127.74, 127.58, 114.05, 55.61, 50.12, 47.39, 21.62.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 26.49 min, t_r (minor) = 20.21 min, *ee* = 98%.

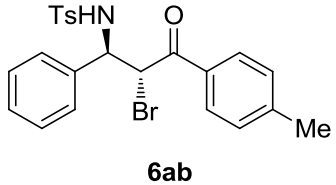
$[\alpha]^{25}_D$ = -1.8 (*c* = 0.44, in CH_2Cl_2).





	Retention Time	Area	% Area
1	20.209	84674	0.91
2	26.492	9233717	99.09

***N*-(2-bromo-3-oxo-1-phenyl-3-(p-tolyl)propyl)-4-methylbenzenesulfonamide (6ab):**



6ab

Prepared according to the general precedure. The tittle compound **6ab** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 97% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.59 (d, *J*=8.2, 2H), 7.50 (d, *J*=8.2, 2H), 7.15 – 7.02 (m, 7H), 7.00 (d, *J*=8.1, 2H), 6.77 (d, *J*=9.1, 1H), 5.34 (d, *J*=4.8, 1H), 5.01 (dd, *J*=9.1, 4.8, 1H), 2.27 (s, 3H), 2.24 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.42, 145.53, 142.95, 137.86, 136.92, 131.76, 129.53, 129.17, 128.88, 128.56, 128.06, 127.35, 127.12, 60.82, 45.99, 21.74, 21.45.

$[\alpha]^{25}_D$ = -80.3 (*c* = 1.10, in CH_2Cl_2).

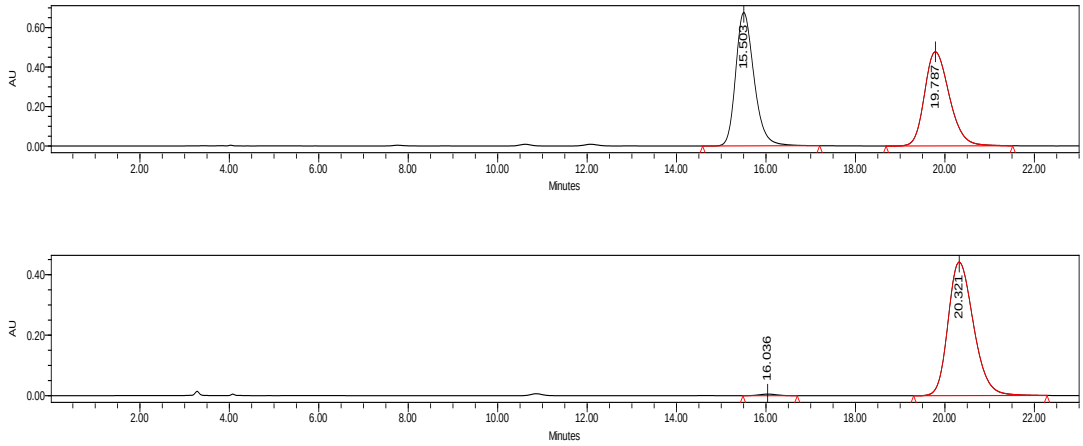
Aziridine-6ab:

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.88 (d, *J*=8.1, 2H), 7.64 (d, *J*=8.2, 2H), 7.25 (s, 5H), 7.20 (d, *J*=8.1, 2H), 7.14 (d, *J*=8.1, 2H), 4.42 (d, *J*=4.2, 1H), 4.20 (d, *J*=4.2, 1H), 2.35 (s, 3H), 2.31 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.81, 145.22, 144.33, 136.70, 133.59, 133.02, 129.51, 129.50, 129.10, 128.85, 128.63, 127.73, 127.57, 50.19, 47.50, 21.83, 21.62.

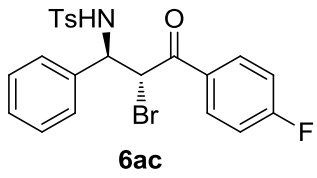
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 20.32 min, t_r (minor) = 16.04 min, *ee* = 98%.

$[\alpha]^{25}_D$ = -6.3 (*c* = 0.48, in CH_2Cl_2).



	Retention Time	Area	% Area
1	16.036	147373	0.84
2	20.321	17439534	99.16

***N*-(2-bromo-3-(4-fluorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (6ac):**



6ac

Prepared according to the general precedure. The tittle compound **6ac** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (dd, *J*=8.8, 5.3, 2H), 7.49 (d, *J*=8.2, 2H), 7.14 – 7.02 (m, 5H), 6.97 (dd, *J*=17.8, 8.7, 4H), 6.66 (d, *J*=9.2, 1H), 5.32 (d, *J*=5.2, 1H), 5.02 (dd, *J*=9.1, 5.2, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.13, 166.28 (d, *J*=257.6), 143.05, 137.70, 136.76, 131.64, 131.55, 130.67 (d, *J*=2.9), 129.19, 128.60, 128.16, 127.36, 127.10, 116.06 (d, *J*=22.1), 60.61, 53.47, 46.05, 21.44.

$[\alpha]^{25}_D$ = -73.6 (*c* = 0.63, in CH_2Cl_2).

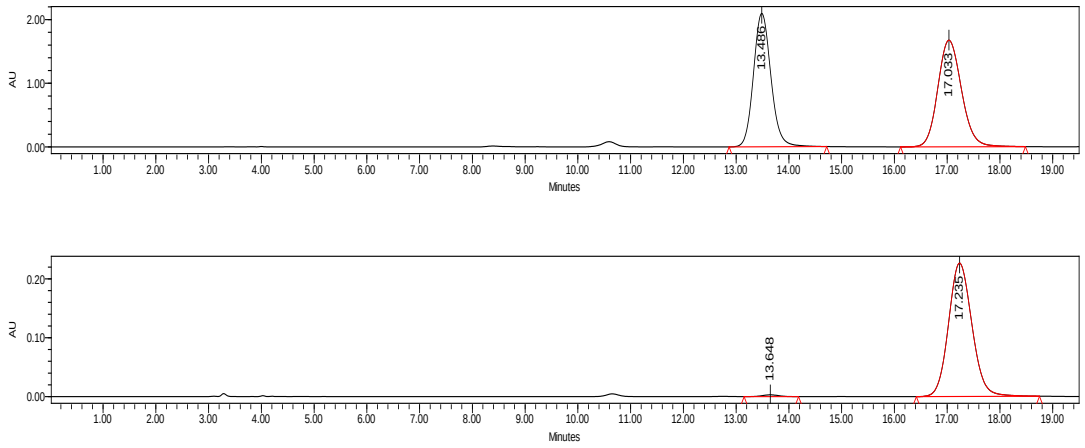
Aziridine-6ac:

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.01 (dd, *J*=8.6, 5.4, 2H), 7.63 (d, *J*=8.2, 2H), 7.24 (s, 5H), 7.15 (d, *J*=8.2, 2H), 7.07 (t, *J*=8.5, 2H), 4.44 (d, *J*=4.2, 1H), 4.13 (d, *J*=4.2, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 188.80, 166.32 (d, *J*=256.9), 144.54, 136.49, 132.91, 132.51 (d, *J*=2.9), 131.83, 131.73, 129.57, 128.92, 128.68, 127.72, 127.44, 116.04 (d, *J*=22.1), 50.26, 47.27, 21.63.

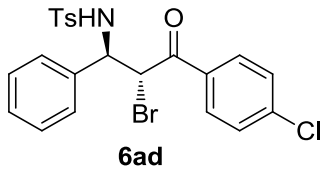
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 17.24 min, t_r (minor) = 13.65 min, *ee* = 98%.

$[\alpha]^{25}_D$ = -8.0 (*c* = 0.35, in CH_2Cl_2).



	Retention Time	Area	% Area
1	13.648	65327	0.93
2	17.235	6981751	99.07

***N*-(2-bromo-3-(4-chlorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (6ad):**



6ad

Prepared according to the general precedure. The tittle compound **6ad** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.64 (d, *J*=8.5, 2H), 7.47 (d, *J*=8.1, 2H), 7.25 (d, *J*=8.5, 2H), 7.14 – 7.02 (m, 5H), 6.98 (d, *J*=8.1, 2H), 6.64 (d, *J*=9.2, 1H), 5.30 (d, *J*=5.4, 1H), 5.02 (dd, *J*=9.2, 5.4, 1H), 2.24 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.43, 143.08, 140.83, 137.63, 136.73, 132.59, 130.16, 129.20, 129.15, 128.61, 128.18, 127.37, 127.09, 60.47, 46.13, 21.45.

$[\alpha]^{25}_D$ = -62.4 (*c* = 1.17, in CH_2Cl_2).

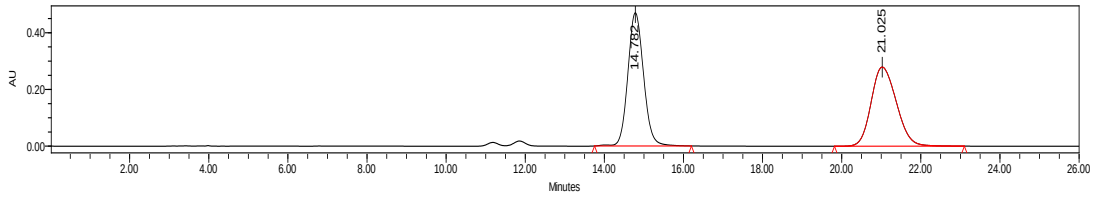
Aziridine-6ad:

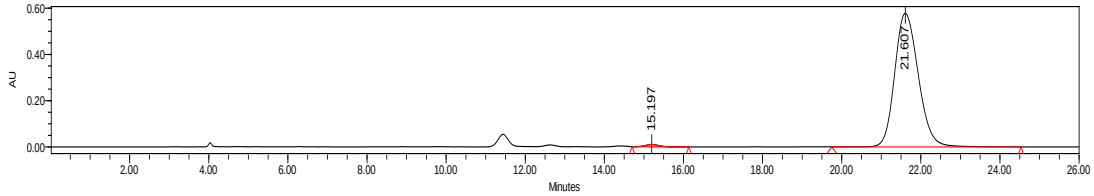
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.92 (d, *J*=8.5, 2H), 7.63 (d, *J*=8.2, 2H), 7.38 (d, *J*=8.5, 2H), 7.25 (s, 5H), 7.16 (d, *J*=8.1, 2H), 4.45 (d, *J*=4.2, 1H), 4.11 (d, *J*=4.2, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.26, 144.58, 140.73, 136.43, 134.34, 132.89, 130.35, 129.57, 129.16, 128.94, 128.69, 127.72, 127.40, 50.27, 47.20, 21.63.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 21.61 min, t_r (minor) = 15.20 min, *ee* = 98%.

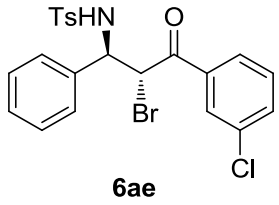
$[\alpha]^{25}_D$ = -8.1 (*c* = 0.38, in CH_2Cl_2).





	Retention Time	Area	% Area
1	15.197	223591	0.93
2	21.607	23929853	99.07

***N*-(2-bromo-3-(3-chlorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (6ae):**



6ae

Prepared according to the general precedure. The tittle compound **6ae** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.64 (s, 1H), 7.57 (d, *J*=7.8, 1H), 7.48 (d, *J*=8.2, 2H), 7.41 (d, *J*=8.7, 1H), 7.24 (t, *J*=7.9, 1H), 7.11 – 7.04 (m, 5H), 7.00 (d, *J*=8.1, 2H), 6.56 (d, *J*=9.2, 1H), 5.29 (d, *J*=5.4, 1H), 5.03 (dd, *J*=9.2, 5.4, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 192.35, 143.12, 137.62, 136.66, 135.82, 135.19, 134.10, 130.11, 129.22, 128.73, 128.65, 128.23, 127.36, 127.10, 126.80, 60.43, 46.24, 21.46.

$[\alpha]^{25}_D$ = -63.8 (*c* = 0.86, in CH_2Cl_2).

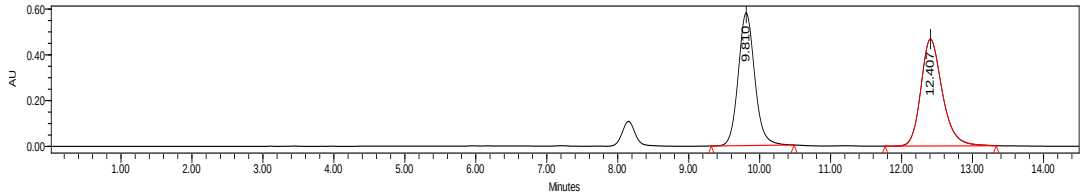
Aziridine-6ae:

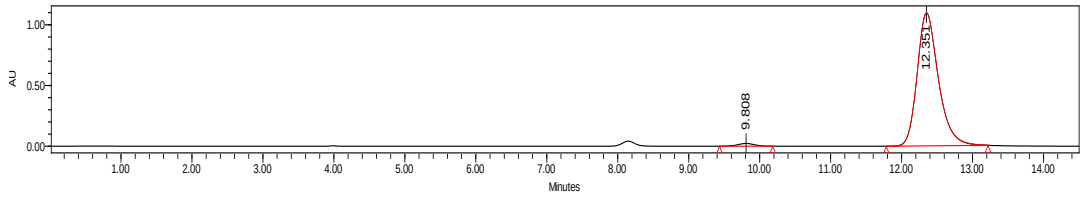
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.86 (d, *J*=7.3, 2H), 7.62 (d, *J*=8.2, 2H), 7.49 (d, *J*=7.7, 1H), 7.34 (t, *J*=8.0, 1H), 7.25 (s, 5H), 7.15 (d, *J*=8.1, 2H), 4.47 (d, *J*=4.2, 1H), 4.08 (d, *J*=4.2, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.30, 144.64, 137.48, 136.33, 135.15, 133.99, 132.91, 130.15, 129.61, 128.96, 128.71, 127.73, 127.41, 127.09, 50.30, 47.03, 21.65.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 12.35 min, t_r (minor) = 9.81 min, *ee* = 97%.

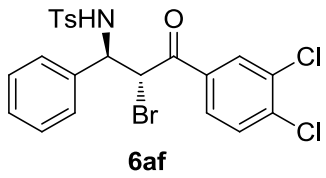
$[\alpha]^{25}_D$ = 3.2 (*c* = 0.72, in CH_2Cl_2).





	Retention Time	Area	% Area
1	9.808	356772	1.54
2	12.351	22759340	98.46

***N*-(2-bromo-3-(3,4-dichlorophenyl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (6af):**



6af

Prepared according to the general precedure. The tittle compound **6af** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 94% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.75 (d, *J*=1.8, 1H), 7.53 (dd, *J*=8.4, 1.9, 1H), 7.45 (d, *J*=8.2, 2H), 7.36 (d, *J*=8.4, 1H), 7.07 (s, 5H), 6.98 (d, *J*=8.1, 2H), 6.53 (d, *J*=9.2, 1H), 5.25 (d, *J*=5.9, 1H), 5.03 (dd, *J*=9.2, 5.9, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 191.28, 143.18, 138.91, 137.47, 136.60, 133.78, 133.61, 130.87, 130.63, 129.21, 128.66, 128.28, 127.71, 127.38, 127.07, 60.23, 46.16, 21.47.

$[\alpha]^{25}_D$ = -64.6 (*c* = 1.14, in CH_2Cl_2).

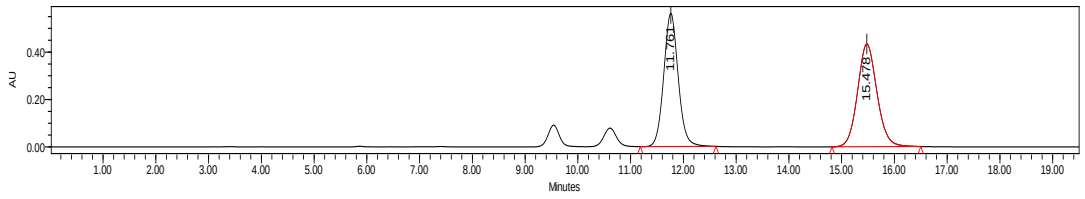
Aziridine-6af:

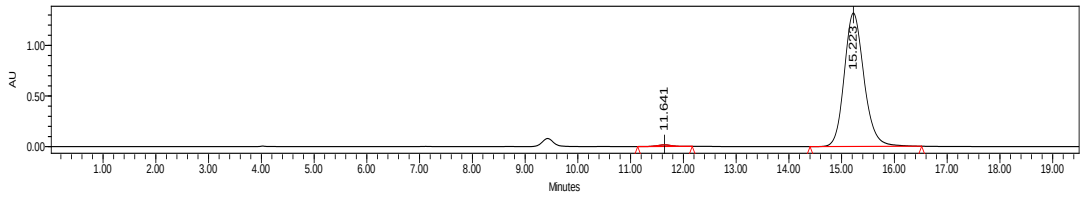
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.98 (d, *J*=2.0, 1H), 7.81 (dd, *J*=8.4, 2.0, 1H), 7.63 (d, *J*=8.3, 2H), 7.49 (d, *J*=8.4, 1H), 7.29 – 7.23 (m, 5H), 7.17 (d, *J*=8.1, 2H), 4.48 (d, *J*=4.2, 1H), 4.02 (d, *J*=4.2, 1H), 2.34 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 188.42, 144.79, 138.86, 136.15, 135.51, 133.56, 132.86, 130.94, 130.85, 129.63, 128.99, 128.72, 127.94, 127.72, 127.31, 50.29, 46.80, 21.66.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.22 min, t_r (minor) = 11.64 min, *ee* = 98%.

$[\alpha]^{25}_D$ = -7.5 (*c* = 0.40, in CH_2Cl_2).

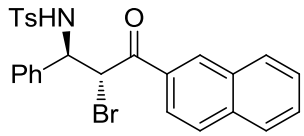




	Retention Time	Area	% Area
1	11.641	282900	0.82

2	15.223	34233444	99.18

***N*-(2-bromo-3-(naphthalen-2-yl)-3-oxo-1-phenylpropyl)-4-methylbenzenesulfonamide (6ag):**



6ag

Prepared according to the general precedure. The tittle compound **6ag** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 90% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.19 (s, 1H), 7.79 (d, *J*=8.1, 1H), 7.75 – 7.69 (m, 3H), 7.50 (t, *J*=6.4, 3H), 7.44 (t, *J*=7.4, 1H), 7.16 – 7.11 (m, 2H), 7.08 – 7.01 (m, 3H), 6.98 (d, *J*=8.1, 2H), 6.77 (d, *J*=9.1, 1H), 5.53 (d, *J*=5.0, 1H), 5.09 (dd, *J*=9.0, 5.0, 1H), 2.22 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.68, 142.99, 137.80, 136.92, 135.95, 132.22, 131.60, 130.90, 129.82, 129.29, 129.18, 128.77, 128.61, 128.14, 127.76, 127.39, 127.12, 123.83, 60.83, 46.30, 21.44.

$[\alpha]^{25}_D$ = -90.9 (*c* = 0.78, in CH_2Cl_2).

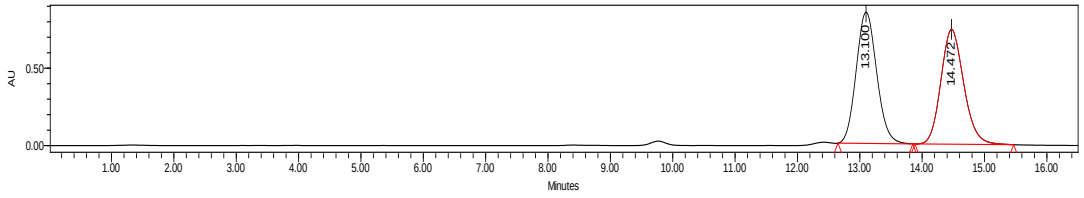
Aziridine-6ag:

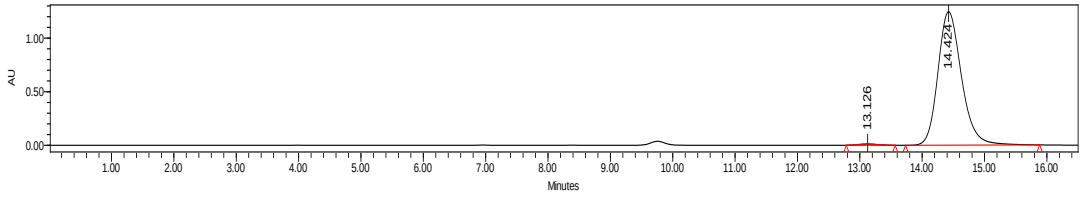
^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.38 (s, 1H), 8.00 (dd, *J*=8.6, 1.2, 1H), 7.80 (t, *J*=8.0, 2H), 7.76 (d, *J*=8.2, 1H), 7.58 (d, *J*=8.2, 2H), 7.54 (t, *J*=7.4, 1H), 7.46 (t, *J*=7.4, 1H), 7.30 (ddd, *J*=10.6, 7.2, 2.9, 5H), 7.02 (d, *J*=8.1, 2H), 4.53 (d, *J*=4.2, 1H), 4.29 (d, *J*=4.2, 1H), 2.21 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 190.00, 144.42, 136.38, 135.99, 133.47, 133.22, 132.31, 131.50, 129.81, 129.46, 129.13, 128.89, 128.78, 128.69, 127.88, 127.78, 127.56, 126.95, 123.91, 50.59, 46.82, 21.54.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 14.42 min, t_r (minor) = 13.13 min, *ee* = 99%.

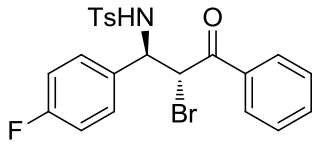
$[\alpha]^{25}_D$ = 34.5 (*c* = 0.69, in CH_2Cl_2).





	Retention Time	Area	% Area
1	13.126	244362	0.74
2	14.424	32635975	99.26

***N*-(2-bromo-1-(4-fluorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (6ah):**



6ah

Prepared according to the general precedure. The tittle compound **6ah** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 96% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.71 (d, *J*=7.9, 2H), 7.48 (dd, *J*=12.3,

7.8, 3H), 7.32 (t, *J*=7.7, 2H), 7.12 – 7.00 (m, 4H), 6.78 – 6.66 (m, 3H), 5.33 (d, *J*=5.1, 1H), 5.01 (dd, *J*=8.9, 5.2, 1H), 2.26 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.59, 163.54, 161.07, 143.22, 137.66, 134.40, 134.15, 132.73, 132.70, 129.31, 129.25, 128.88, 128.76, 127.11, 115.61, 115.39, 59.97, 46.03, 21.45.

$[\alpha]^{25}_D$ = -73.9 (*c* = 0.66, in CH_2Cl_2).

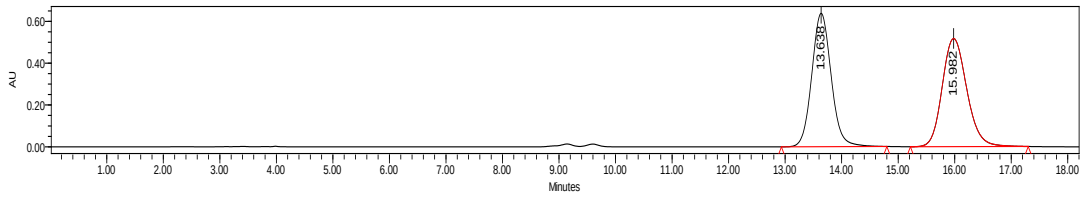
Aziridine-6ah:

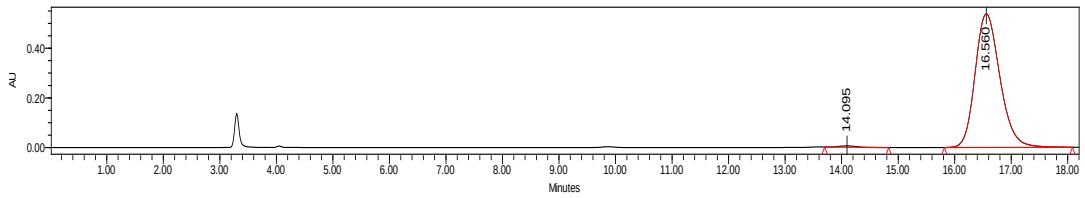
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.96 (d, *J*=7.7, 2H), 7.63 (d, *J*=8.2, 2H), 7.55 (t, *J*=7.4, 1H), 7.41 (t, *J*=7.7, 2H), 7.25 (dd, *J*=8.6, 5.3, 2H), 7.16 (d, *J*=8.1, 2H), 6.95 (t, *J*=8.6, 2H), 4.41 (d, *J*=4.2, 1H), 4.20 (d, *J*=4.2, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 190.23, 164.26, 161.79, 144.54, 136.49, 135.90, 134.18, 129.57, 129.52, 129.43, 128.95, 128.84, 128.65, 128.62, 127.72, 115.82, 115.60, 49.99, 46.83, 21.63.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 16.56 min, t_r (minor) = 14.10 min, *ee* = 99%.

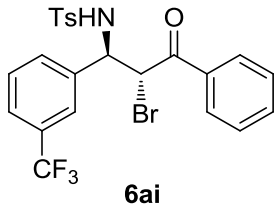
$[\alpha]^{25}_D$ = -4.1 (*c* = 0.36, in CH_2Cl_2).





	Retention Time	Area	% Area
1	14.095	107780	0.65
2	16.560	16433511	99.35

***N*-(2-bromo-3-oxo-3-phenyl-1-(3-(trifluoromethyl)phenyl)propyl)-4-methylbenzenesulfonamide (6ai):**



6ai

Prepared according to the general precedure. The tittle compound **6ai** was purified by silica gel chromatography (petroleum ether : EtOAc = 4 : 1) to afford a white solid in 95% yield (reaction time: 4 h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.74 (d, *J*=8.0, 2H), 7.47 (dd, *J*=13.5, 7.5, 3H), 7.40 – 7.28 (m, 4H), 7.26 (s, 1H), 7.20 (d, *J*=8.8, 1H), 6.99 (d, *J*=8.0, 2H), 6.69 (d, *J*=9.0, 1H), 5.37 (d, *J*=5.2, 1H), 5.09 (dd, *J*=8.9, 5.3, 1H), 2.23 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.23, 143.41, 137.72, 137.40, 134.49, 133.99, 131.04, 130.81 (d, *J*=32.6), 129.31, 129.16, 128.90, 128.82, 126.98, 125.01 (q, 4.0), 124.41 (q, 4.0), 60.20, 45.82, 21.36.

$[\alpha]^{25}_D$ = -53.4 (*c* = 0.66, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{23}H_{19}{}^{78.9183}BrF_3NO_3S$ ([M]+Na^+) = 548.0119, Found 548.0122.

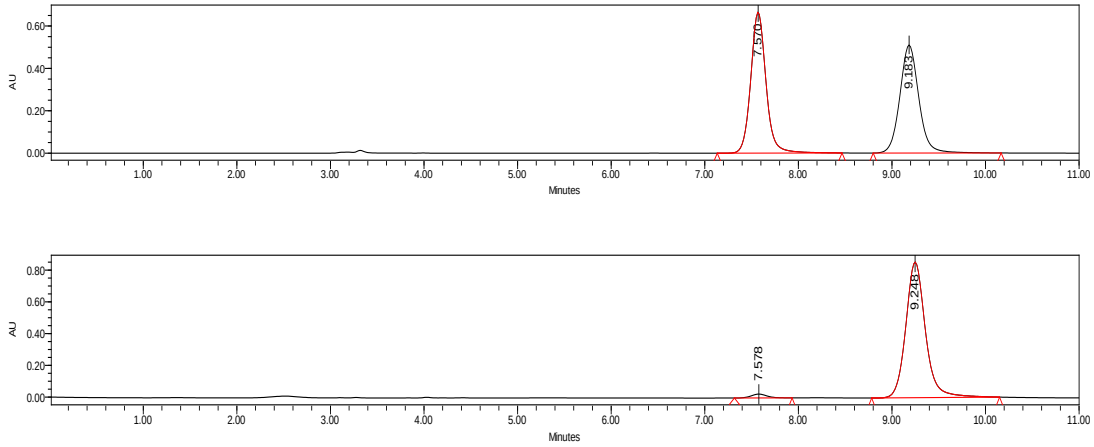
Aziridine-6ai:

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.98 (d, *J*=7.7, 2H), 7.62 (d, *J*=8.2, 2H), 7.56 (t, *J*=7.4, 1H), 7.53 – 7.46 (m, 2H), 7.40 (q, *J*=7.8, 4H), 7.16 (d, *J*=8.2, 2H), 4.51 (d, *J*=4.1, 1H), 4.16 (d, *J*=4.1, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.80, 144.82, 136.10, 135.84, 134.35, 134.27, 131.14 (d, *J*=32.6), 130.88, 129.63, 129.22, 129.06, 128.86, 127.80, 125.63 (q, 3.8), 124.28 (q, 3.8), 50.51, 45.93, 21.61.

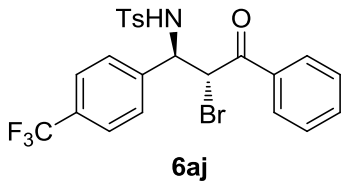
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 9.25 min, t_r (minor) = 7.58 min, *ee* = 96%.

$[\alpha]^{25}_D$ = -18.7 (*c* = 0.44, in CH_2Cl_2).



	Retention Time	Area	% Area
1	7.578	283066	2.22
2	9.248	12457970	97.78

_N_-(2-bromo-3-oxo-3-phenyl-1-(4-(trifluoromethyl)phenyl)propyl)-4-methylbenzenesulfonamide (6aj):



6aj

Prepared according to the general precedure. The tittle compound **6aj** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.73 (d, *J*=8.0, 2H), 7.51 – 7.40 (m, 3H), 7.32 (t, *J*=7.7, 2H), 7.28 (d, *J*=8.3, 2H), 7.22 (d, *J*=8.2, 2H), 6.97 (d, *J*=8.1, 2H), 6.74 (d, *J*=9.2, 1H), 5.37 (d, *J*=5.4, 1H), 5.09 (dd, *J*=9.2, 5.4, 1H), 2.23 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.10, 143.40, 140.64, 137.38, 134.50, 133.92, 130.25 (q, *J*=32.8), 129.25, 128.91, 128.84, 128.12, 127.05, 125.43 (q, *J*=3.7), 123.73 (d, *J*=272.3), 60.17, 45.60, 21.30.

$[\alpha]^{25}_D$ = -50.3 (*c* = 0.97, in CH_2Cl_2).

HRMS (ESI-TOF) calcd for $C_{23}H_{19}{}^{78.9183}BrF_3NO_3S$ ($[M]+K^+$) = 563.9858, Found 563.9853.

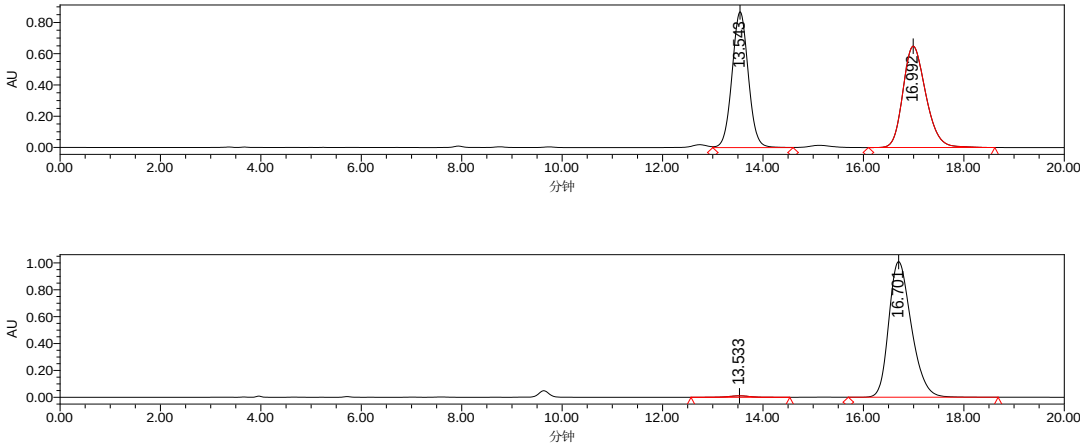
Aziridine-6aj:

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.96 (d, *J*=7.7, 2H), 7.63 (d, *J*=8.2, 2H), 7.52 (dd, *J*=11.2, 8.0, 3H), 7.40 (dd, *J*=12.3, 7.9, 4H), 7.16 (d, *J*=8.1, 2H), 4.51 (d, *J*=4.1, 1H), 4.14 (d, *J*=4.1, 1H), 2.32 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.76, 144.75, 137.38, 136.29, 135.85, 134.27, 130.98 (q, *J*=32.7), 129.65, 129.01, 128.86, 127.77, 127.75, 125.66 (q, *J*=3.7), 123.86 (d, *J*=272.3), 50.81, 46.06, 21.63.

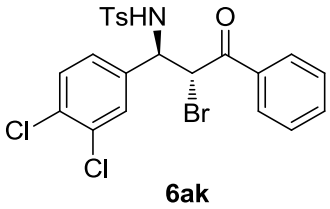
HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 16.70 min, t_r (minor) = 13.53 min, *ee* = 98%.

$[\alpha]^{25}_{D}$ = -27.8 (*c* = 0.66, in CH_2Cl_2).



	Retention Time	Area	% Area
1	13.533	314714	0.99
2	16.701	31503456	99.01

***N*-(2-bromo-1-(3,4-dichlorophenyl)-3-oxo-3-phenylpropyl)-4-methylbenzenesulfonamide (6ak):**



6ak

Prepared according to the general precedure. The tittle compound **6ak** was purified by silica gel chromatography (petroleum ether : EtOAc = 3.5 : 1) to afford a white solid in 95% yield (reaction time: 4h).

d.r. >19:1 (by ^{1}H NMR).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.75 (d, *J*=8.1, 2H), 7.48 (dd, *J*=16.4, 7.8, 3H), 7.34 (t, *J*=7.7, 2H), 7.16 – 7.07 (m, 2H), 7.01 (dd, *J*=12.2, 8.3, 3H), 6.64 (d, *J*=9.1, 1H), 5.32 (d, *J*=5.5, 1H), 4.97 (dd, *J*=9.0, 5.5, 1H), 2.28 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 193.05, 143.61, 137.27, 136.80, 134.55, 133.89, 132.66, 132.42, 130.45, 129.78, 129.32, 128.94, 128.88, 127.02, 59.55, 45.47, 21.47.

$[\alpha]^{25}_{D}$ = -61.1 (*c* = 0.61, in CH_2Cl_2).

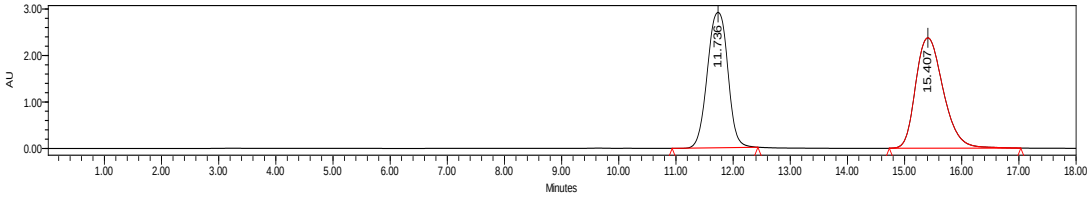
Aziridine-6ak:

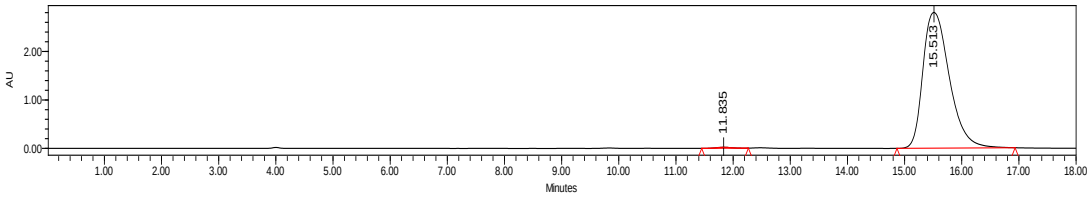
^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.95 (d, *J*=7.9, 2H), 7.62 (d, *J*=8.2, 2H), 7.55 (t, *J*=7.3, 1H), 7.41 (t, *J*=7.7, 2H), 7.31 (dd, *J*=15.1, 5.0, 2H), 7.17 (d, *J*=8.6, 2H), 7.12 (dd, *J*=8.3, 1.8, 1H), 4.39 (d, *J*=4.1, 1H), 4.11 (d, *J*=4.1, 1H), 2.34 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ = 189.69, 144.84, 136.11, 135.79, 134.29, 133.50, 133.13, 132.97, 130.68, 129.67, 129.35, 129.02, 128.87, 127.78, 126.82, 50.51, 45.44, 21.66.

HPLC (Chiralcel IA, hexane/ *i*-PrOH = 70/30, flow rate 1.0 mL/min, λ = 254 nm), t_r (major) = 15.51 min, t_r (minor) = 11.84 min, *ee* = 99%.

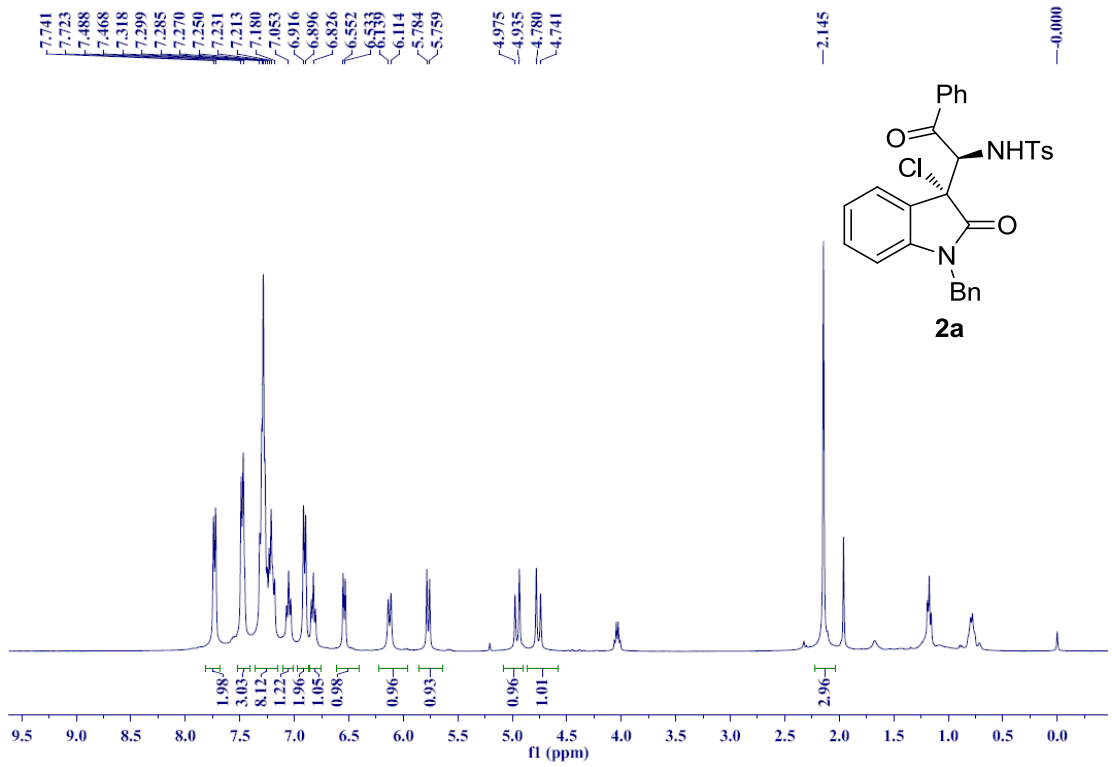
$[\alpha]^{25}_{D}$ = -16.3 (*c* = 0.49, in CH_2Cl_2).

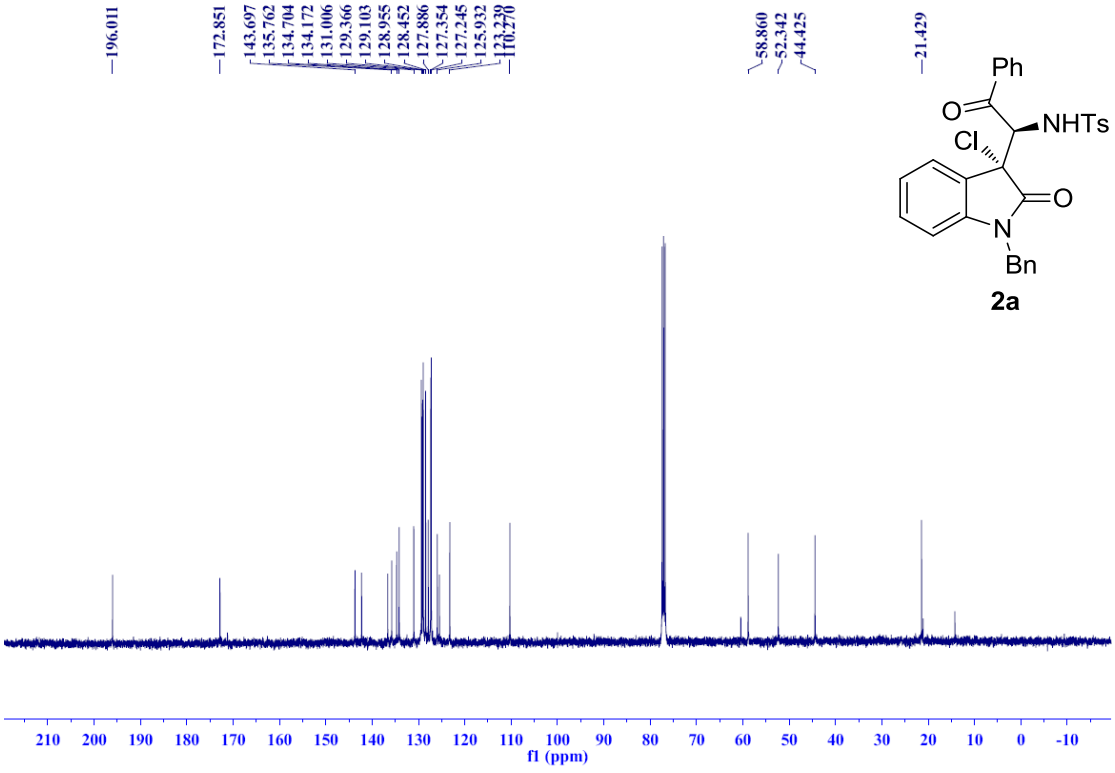


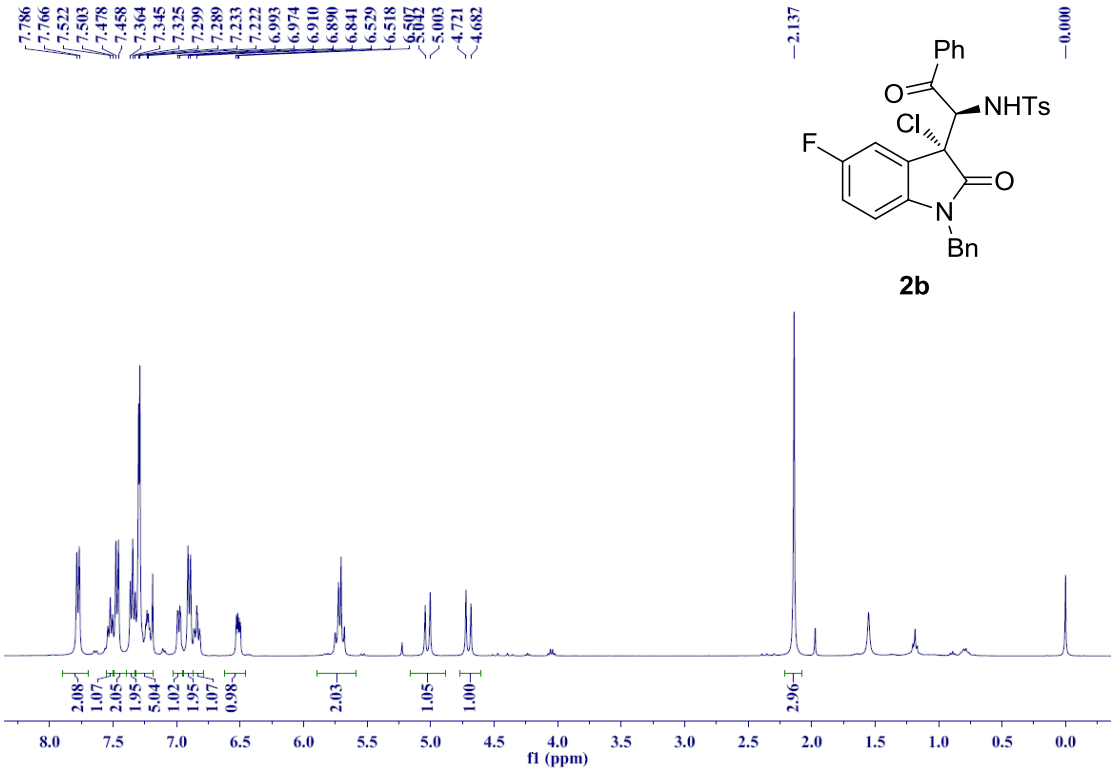


	Retention Time	Area	% Area
1	11.835	375245	0.42
2	15.513	90019452	99.58

7. Copy of ^{1}H NMR and ^{13}C NMR spectra for products



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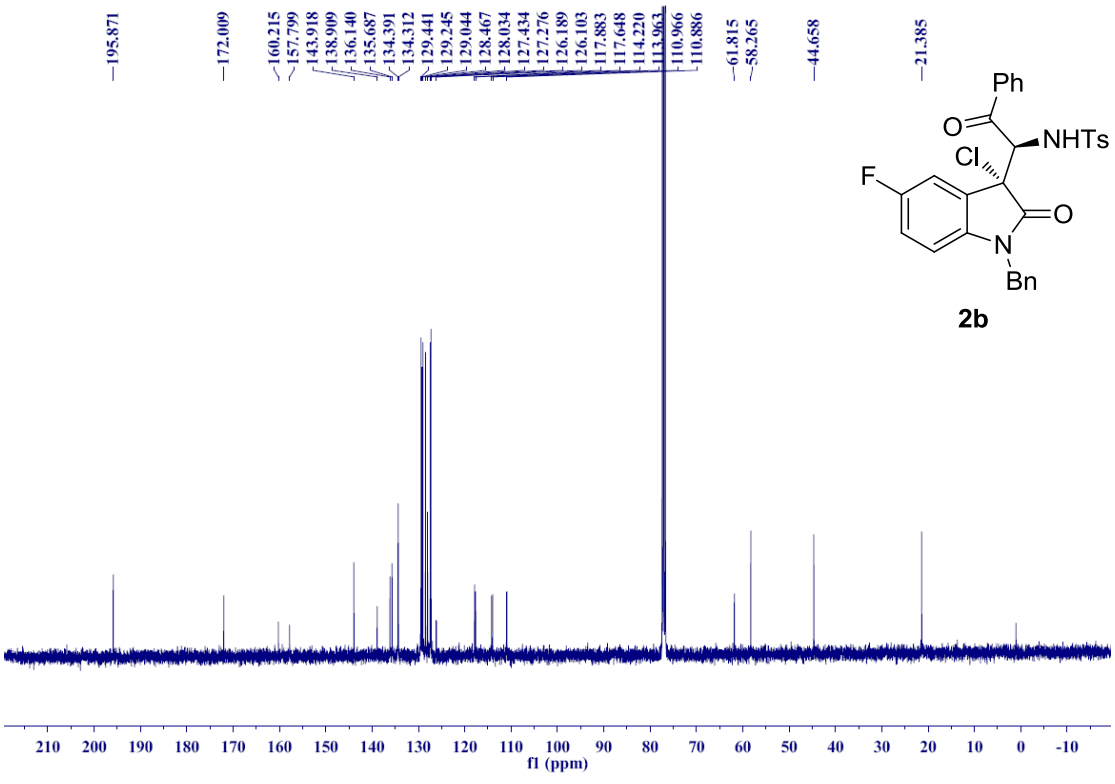
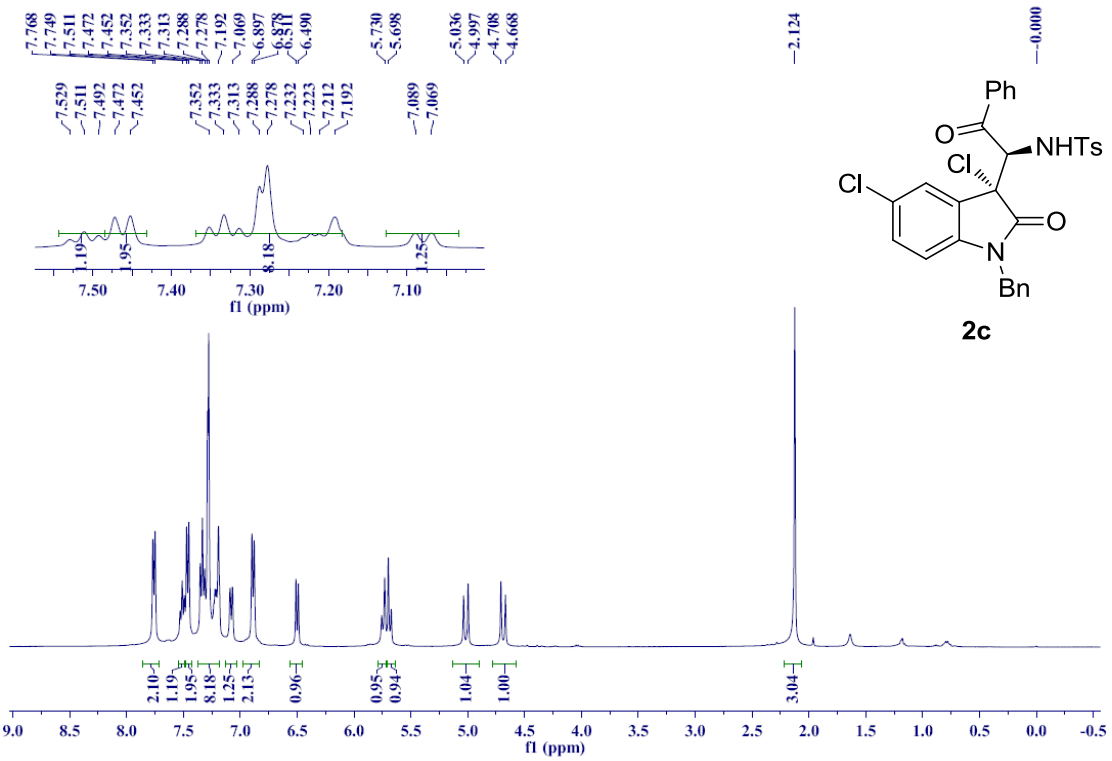
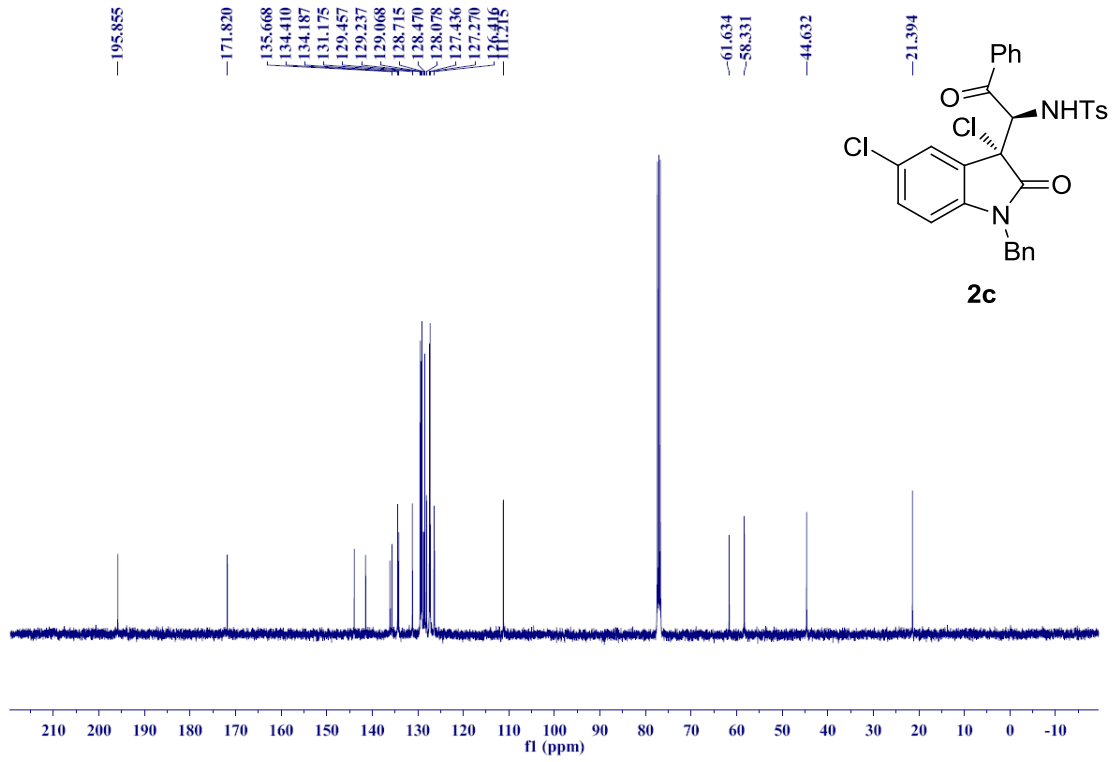
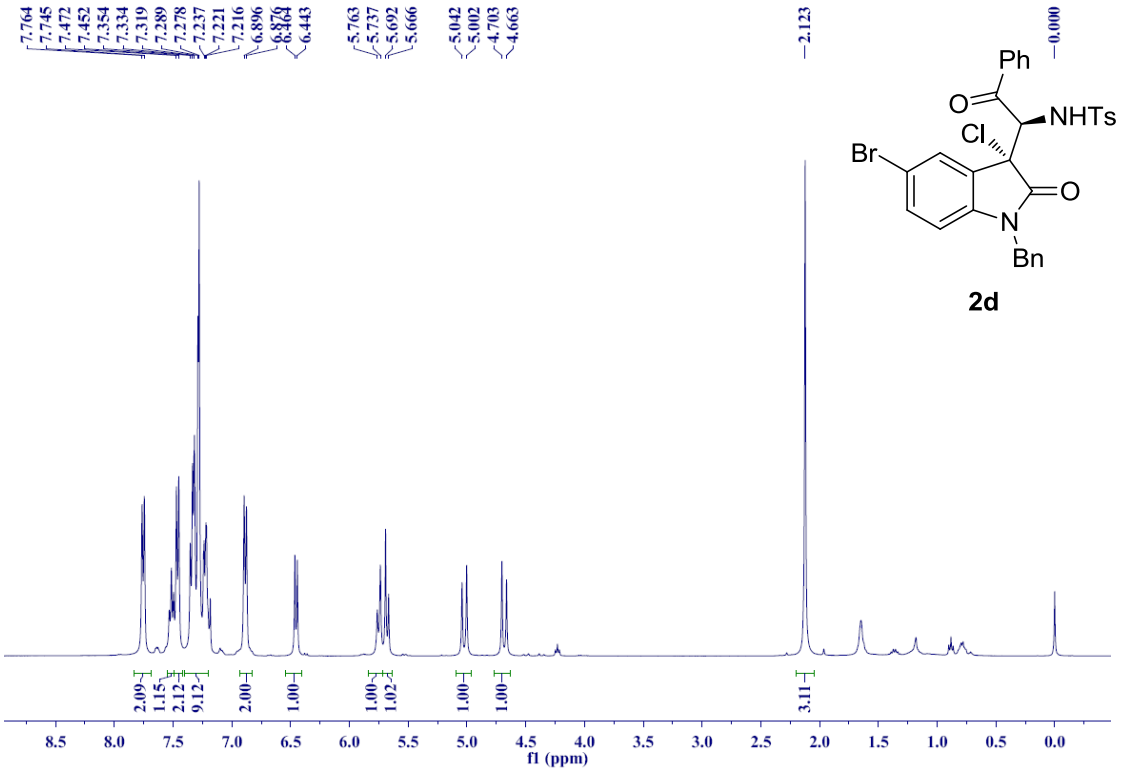
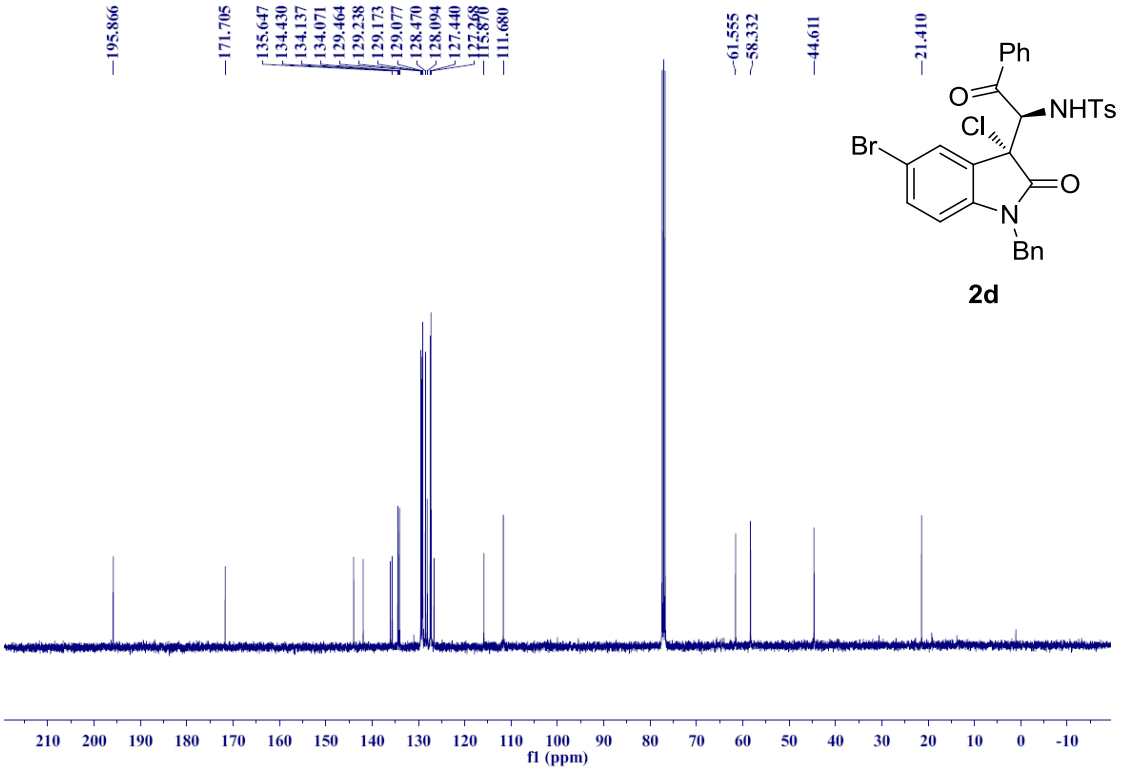
7.766
7.522
7.503
7.478
7.458
7.364
7.345
7.325
7.299
7.289
7.233
7.222
6.993
6.974
6.910
6.890
6.841
6.529
6.518
5.003
4.721
4.682
2.137
0.000
Ph
O
NHTs
Cl
F
O
N
Bn
2b
2.08
1.07
2.05
1.95
5.04
1.02
1.95
1.07
0.98
2.03
1.05
1.00
2.96
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


195.871
172.009
160.215
157.799
143.918
138.909
136.140
135.687
134.391
134.312
129.441
129.245
129.044
128.467
128.034
127.434
127.276
126.189
126.103
117.883
117.648
114.220
113.963
110.966
110.886
61.815
58.265
44.658
21.385
Ph
O
NHTs
Cl
F
O
N
Bn
2b
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)


7.768
7.749
7.511
7.472
7.452
7.352
7.333
7.313
7.288
7.278
7.192
7.069
6.897
6.878
6.511
6.490
5.730
5.698
5.036
4.997
4.708
4.668
2.124
0.000
7.529
7.511
7.492
7.472
7.452
7.352
7.333
7.313
7.288
7.278
7.232
7.223
7.212
7.192
7.089
7.069
1.19
1.95
8.18
1.25
7.50
7.40
7.30
7.20
7.10
f1 (ppm)
Ph
O
NHTs
Cl
Cl
O
N
Bn
2c
2.10
1.19
1.95
8.18
1.25
2.13
0.96
0.95
0.94
1.04
1.00
3.04
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
-0.5
f1 (ppm)


195.855
171.820
135.668
134.410
134.187
131.175
129.457
129.237
129.068
128.715
128.470
128.078
127.436
127.270
61.634
58.331
44.632
21.394
Ph
O
NHTs
Cl
Cl
O
N
Bn
2c
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)


7.764
7.745
7.472
7.452
7.354
7.334
7.319
7.289
7.278
7.237
7.221
7.216
6.896
6.443
5.763
5.737
5.692
5.666
5.042
5.002
4.703
4.663
2.123
0.000
2.09
1.15
2.12
9.12
2.00
1.00
1.00
1.02
1.00
1.00
3.11
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)
Ph
O
NHTs
Cl
Br
O
N
Bn
2d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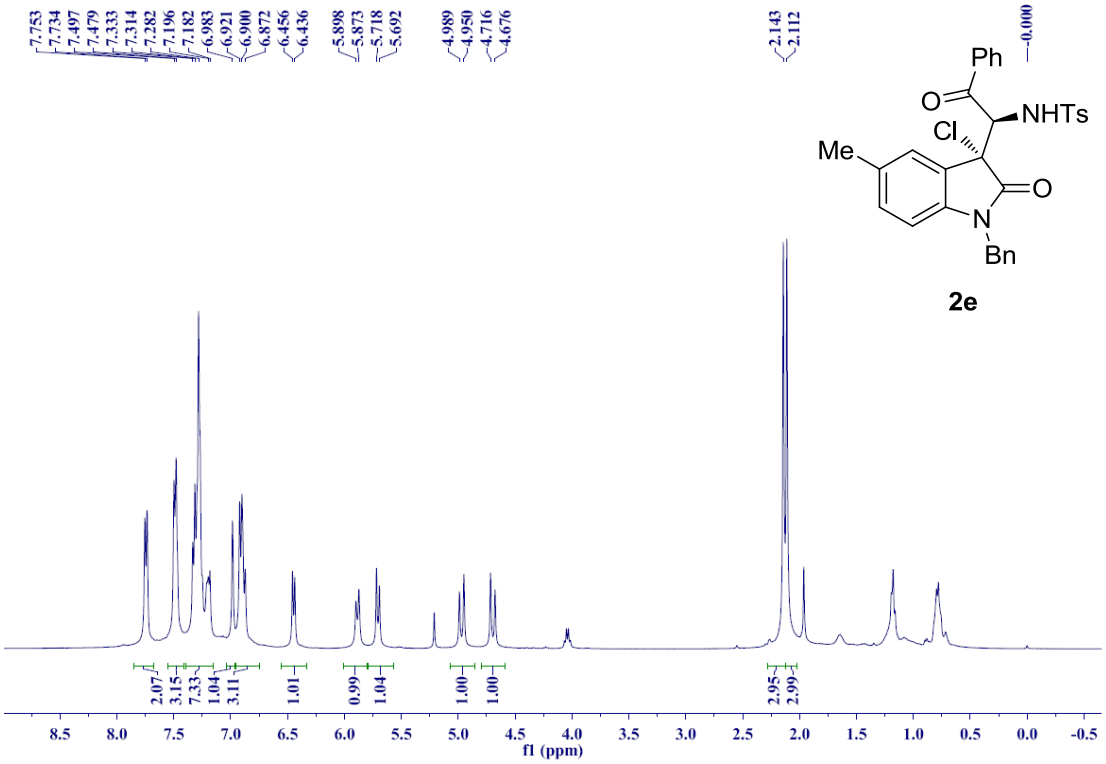
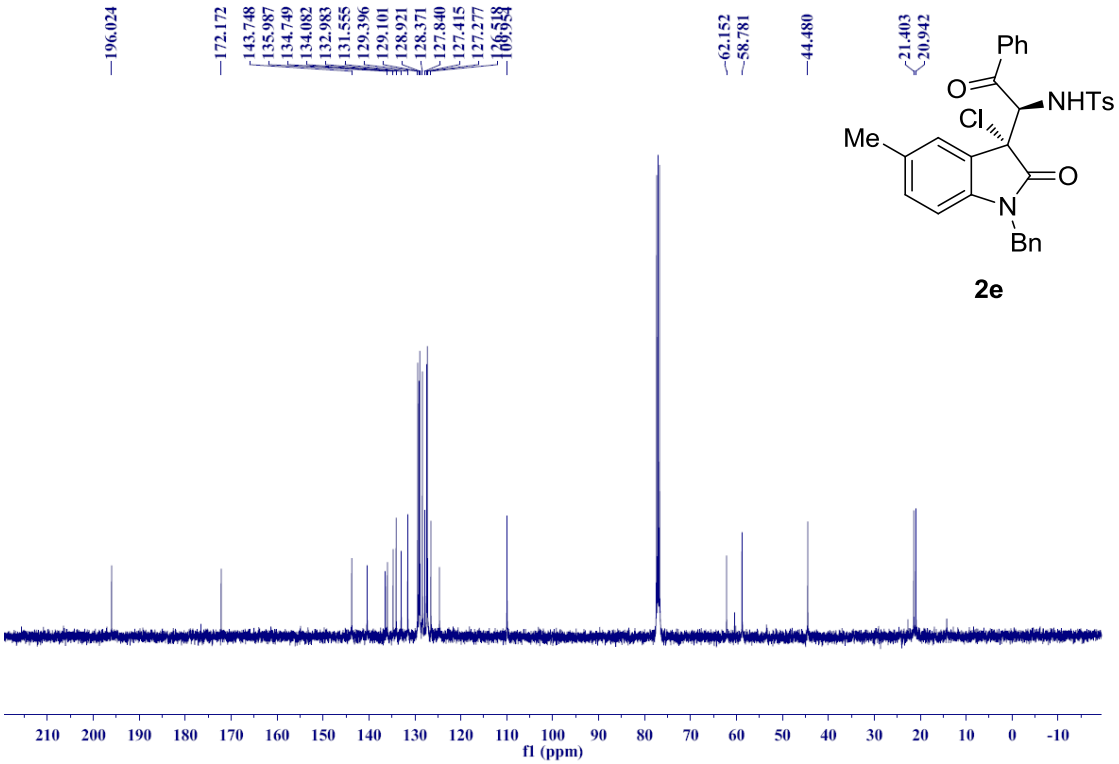
171.705
135.647
134.430
134.137
134.071
129.464
129.238
129.173
129.077
128.470
128.094
127.440
127.268
115.870
111.680
61.555
58.332
44.611
21.410
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)
Ph
O
NHTs
Cl
Br
O
N
Bn
2d


7.753
7.734
7.497
7.479
7.333
7.314
7.282
7.196
7.182
6.983
6.921
6.900
6.872
6.456
6.436
5.898
5.873
5.718
5.692
4.989
4.950
4.716
4.676
2.143
2.112
0.000
Ph
O
NHTs
Cl
Me
O
N
Bn
2e
2.07
3.15
7.33
1.04
3.11
1.01
0.99
1.04
1.00
1.00
2.95
2.99
fl (ppm)


196.024
172.172
143.748
135.987
134.749
134.082
132.983
131.555
129.396
129.101
128.921
128.371
127.840
127.415
127.277
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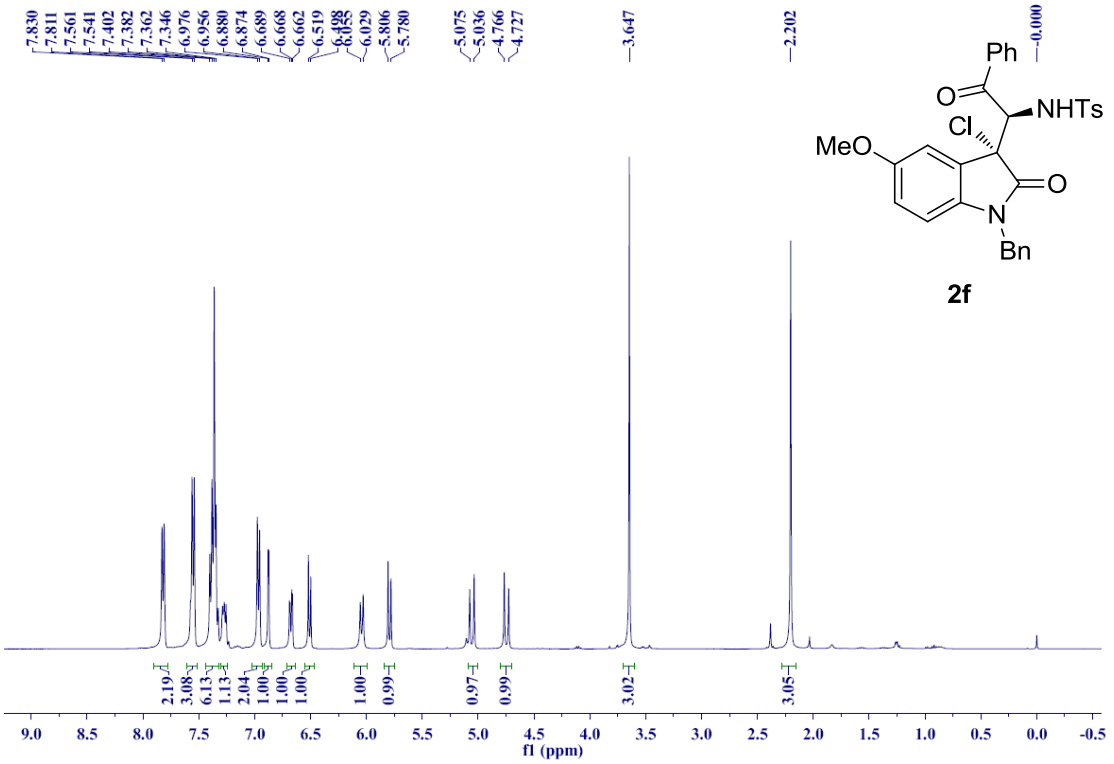
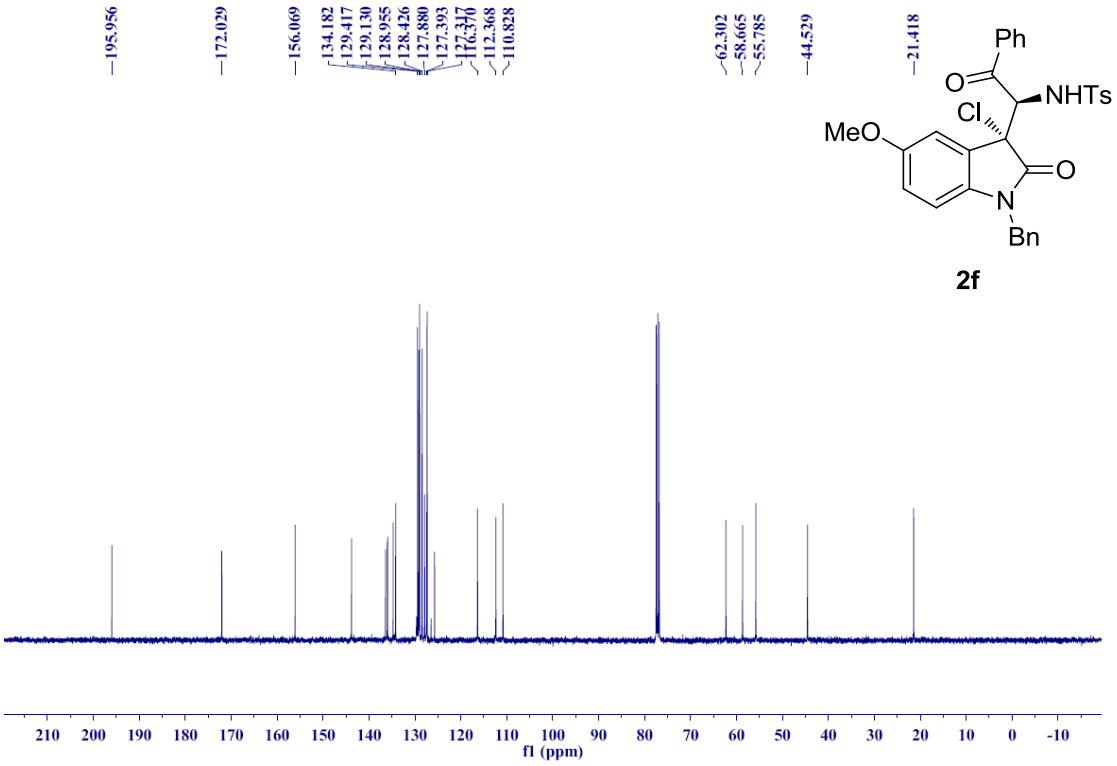
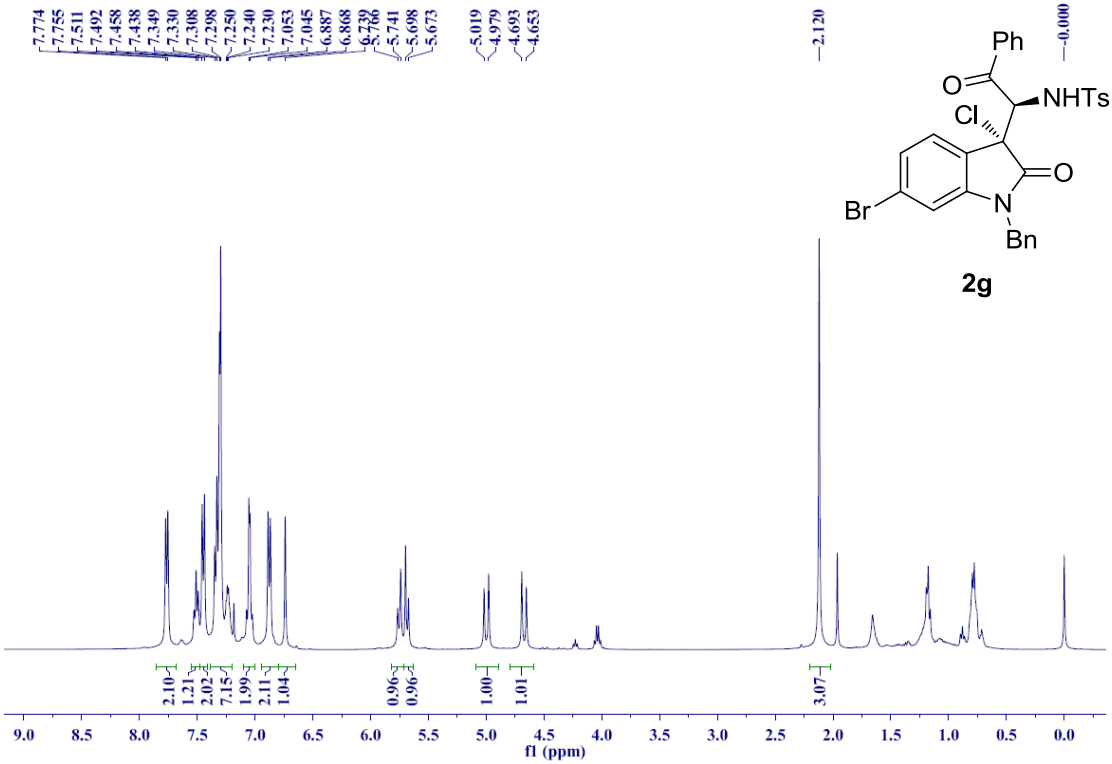
NHTs
Cl
Me
O
N
Bn
2e
fl (ppm)


7.830
7.811
7.561
7.541
7.402
7.382
7.362
7.346
6.976
6.956
6.880
6.874
6.689
6.668
6.662
6.519
6.029
5.806
5.780
5.075
5.036
4.766
4.727
3.647
2.202
0.000
Ph
O
NHTs
Cl
MeO
O
N
Bn
2.19
3.08
6.13
1.13
2.04
1.00
1.00
1.00
1.00
0.99
0.97
0.99
3.02
3.05
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 -0.5
f1 (ppm)

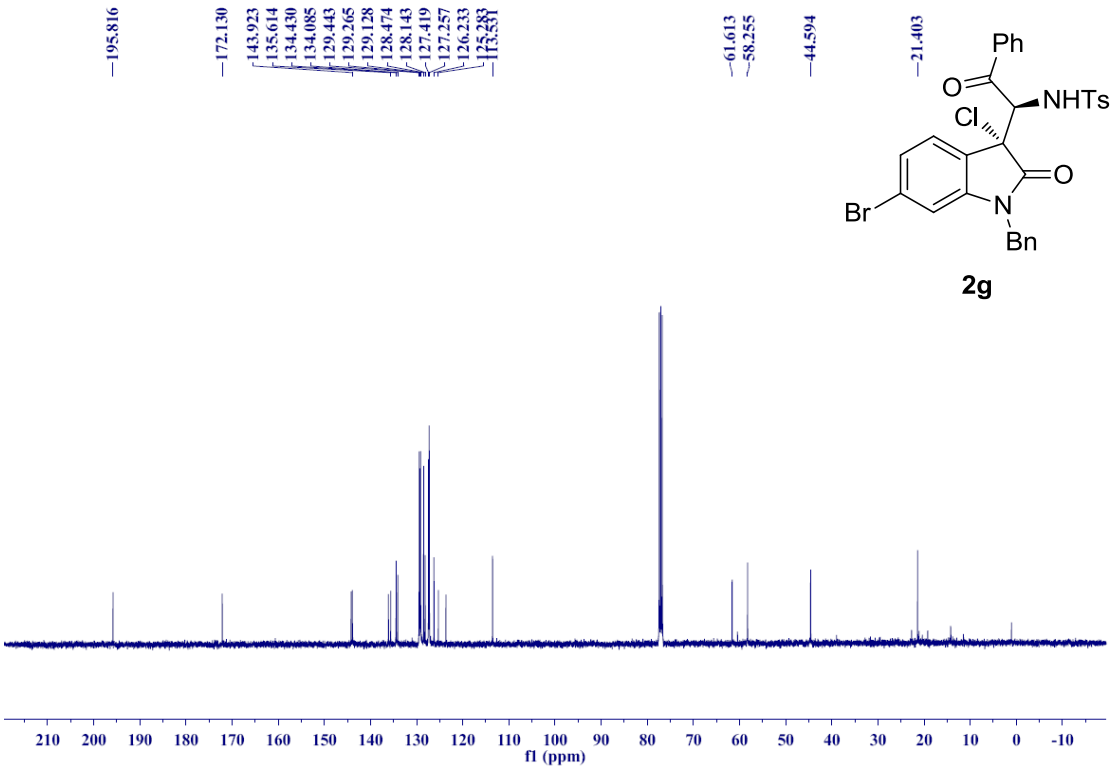
2f


195.956
172.029
156.069
134.182
129.417
129.130
128.955
128.426
127.880
127.393
127.317
116.370
112.368
110.828
62.302
58.665
55.785
44.529
21.418
Ph
O
NHTs
Cl
MeO
O
N
Bn
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)

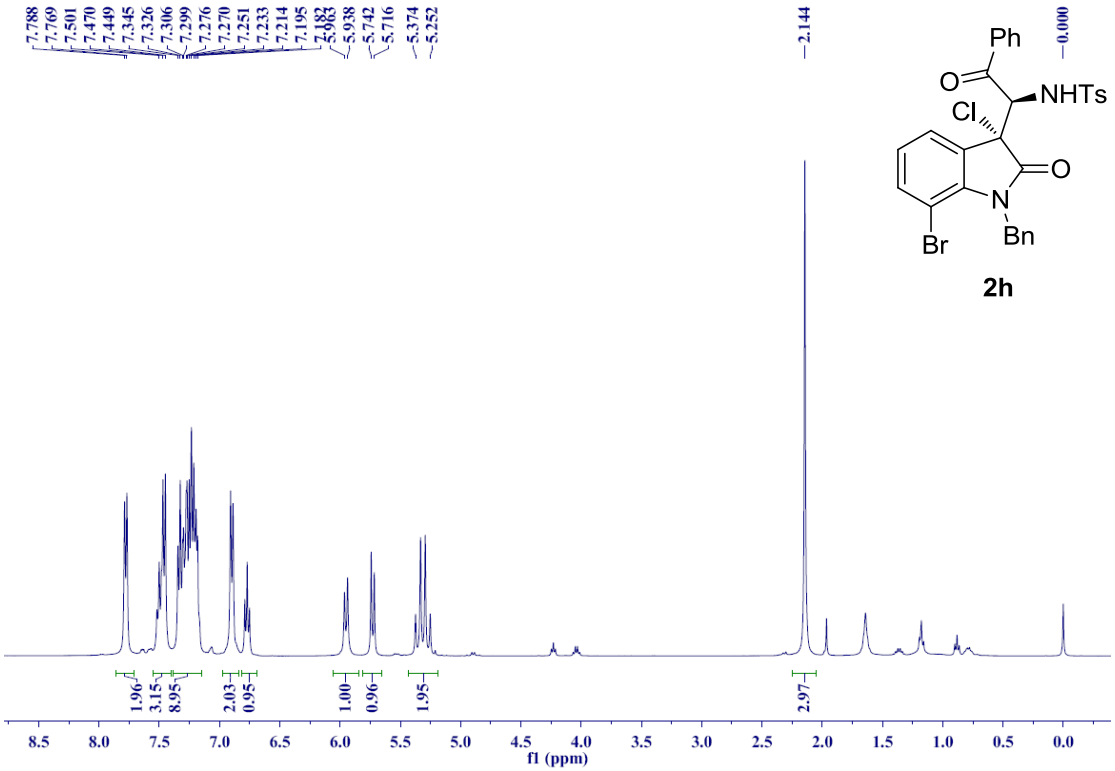
2f


7.774
7.755
7.511
7.492
7.458
7.438
7.349
7.330
7.308
7.298
7.250
7.240
7.230
7.053
7.045
6.887
6.868
6.739
5.766
5.741
5.698
5.673
5.019
4.979
4.693
4.653
2.120
0.000
Ph
O
NHTs
Cl
O
Br
N
Bn
2.10
1.21
2.02
7.15
1.99
2.11
1.04
0.96
0.96
1.00
1.01
3.07
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)

2g


195.816
172.130
143.923
135.614
134.430
134.085
129.443
129.265
129.128
128.474
128.143
127.419
127.257
126.233
115.551
61.613
58.255
44.594
21.403
Ph
O
NHTs
Cl
O
Br
N
Bn
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)

2g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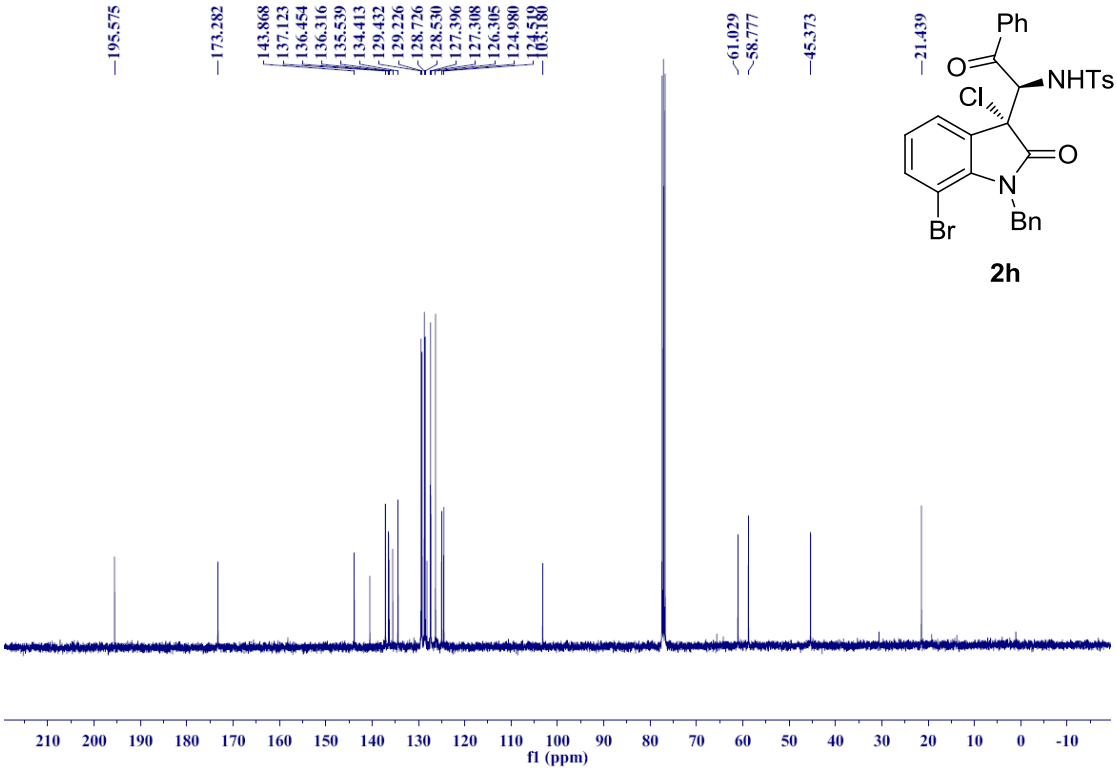
7.501
7.470
7.449
7.345
7.326
7.306
7.299
7.276
7.270
7.251
7.233
7.214
7.195
5.938
5.742
5.716
5.374
5.252
2.144
0.000
1.96
3.15
8.95
2.03
0.95
1.00
0.96
1.95
2.97
f1 (ppm)
Ph
O
NHTs
Cl
O
N
Bn
Br
2h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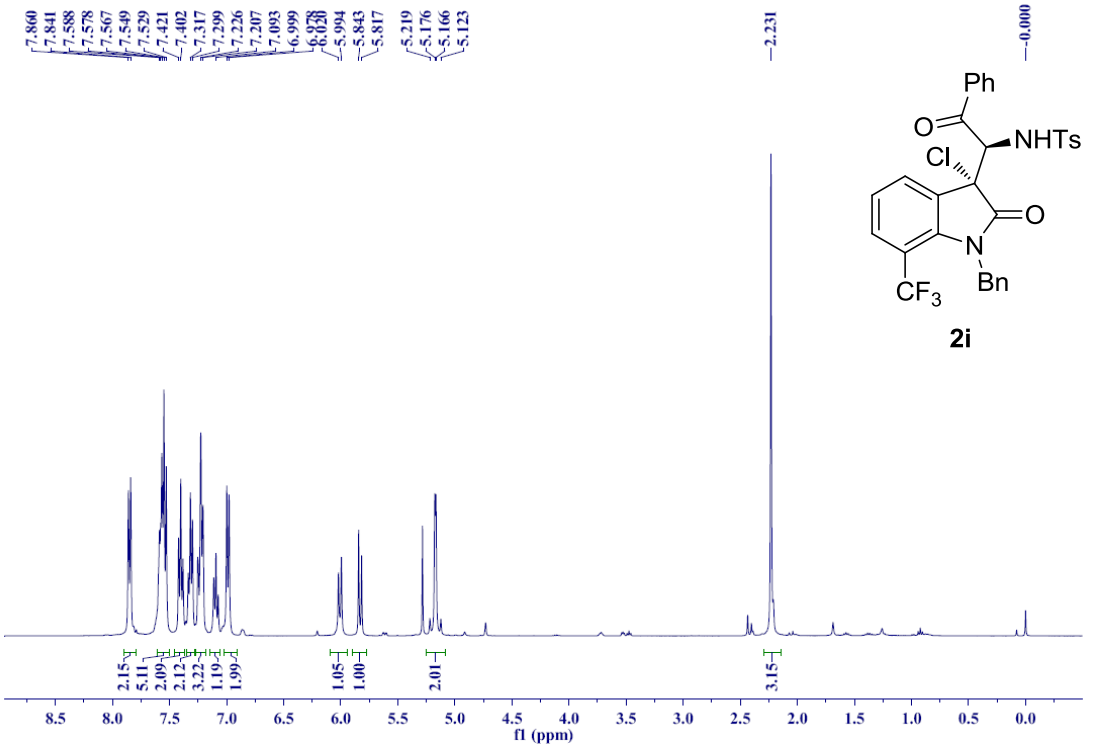
173.282
143.868
137.123
136.454
136.316
135.539
134.413
129.432
129.226
128.726
128.530
127.396
127.308
126.305
124.980
61.029
58.777
45.373
21.439
f1 (ppm)
Ph
O
NHTs
Cl
O
N
Bn
Br
2h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7.421
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7.317
7.299
7.226
7.207
7.093
6.999
5.994
5.843
5.817
5.219
5.176
5.166
5.123
2.231
0.000
Ph
O
NHTs
Cl
O
N
Bn
CF3
2i
2.15
5.11
2.09
2.12
3.22
1.19
1.99
1.05
1.00
2.01
3.15
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
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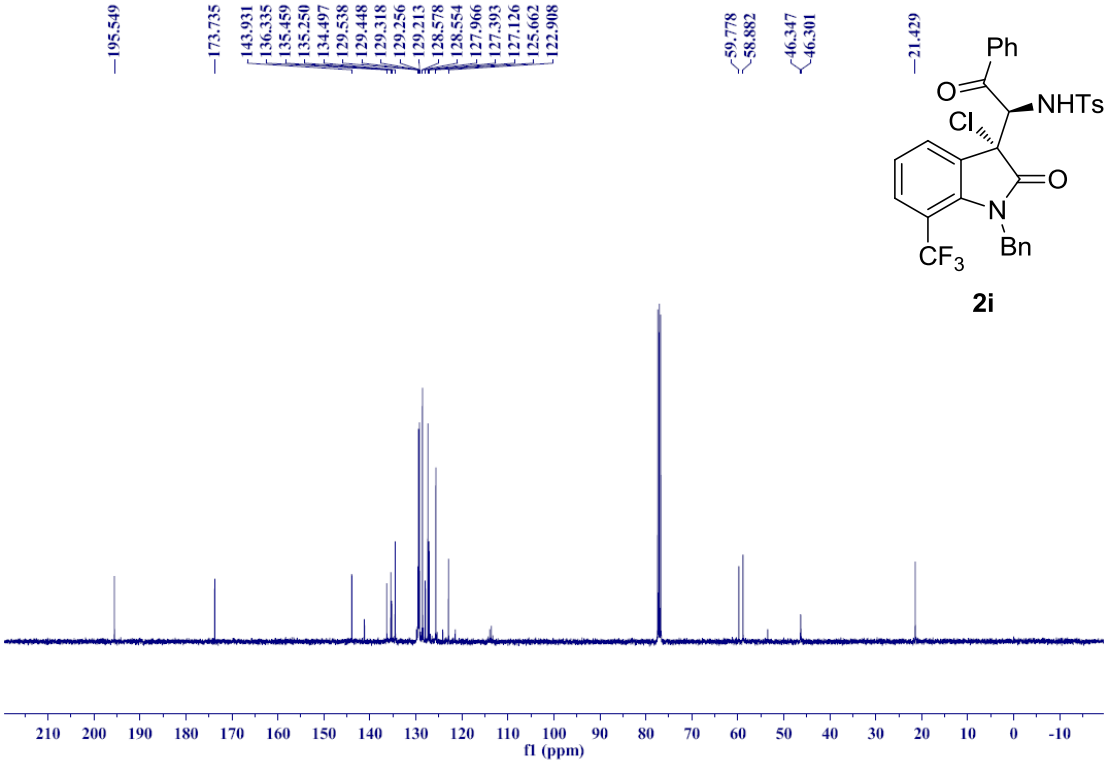
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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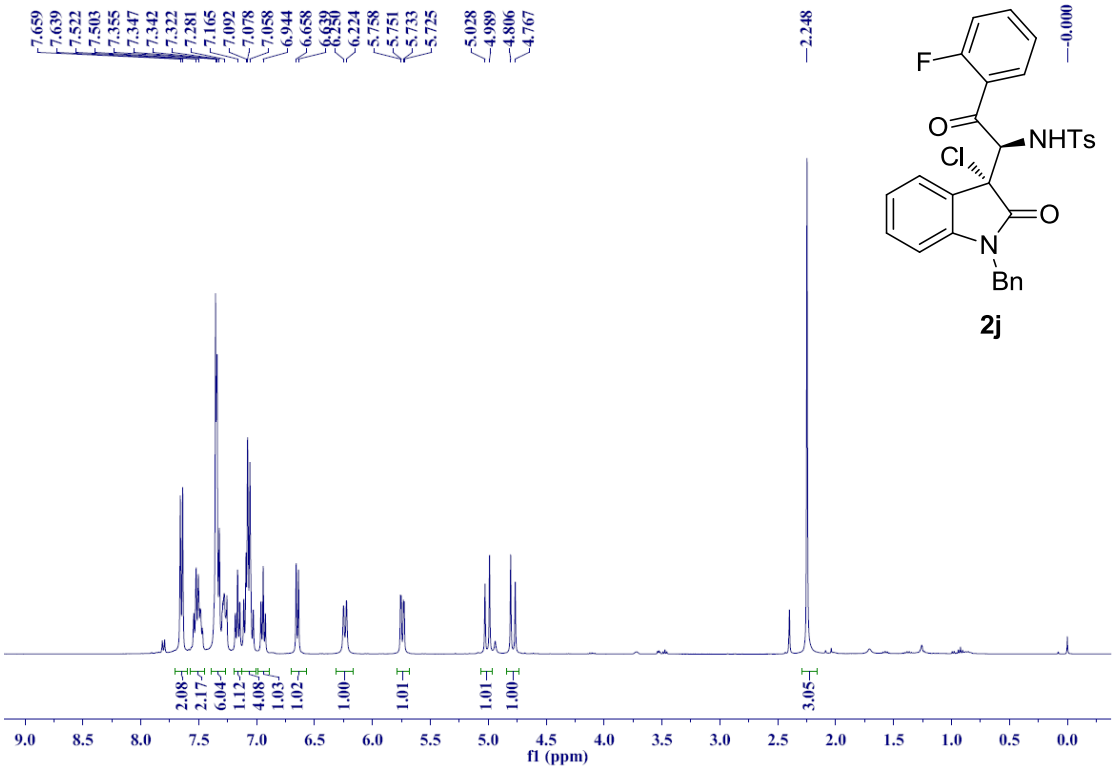
128.578
128.554
127.966
127.393
127.126
125.662
122.908
59.778
58.882
46.347
46.301
21.429
Ph
O
NHTs
Cl
O
N
Bn
CF3
2i
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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7.322
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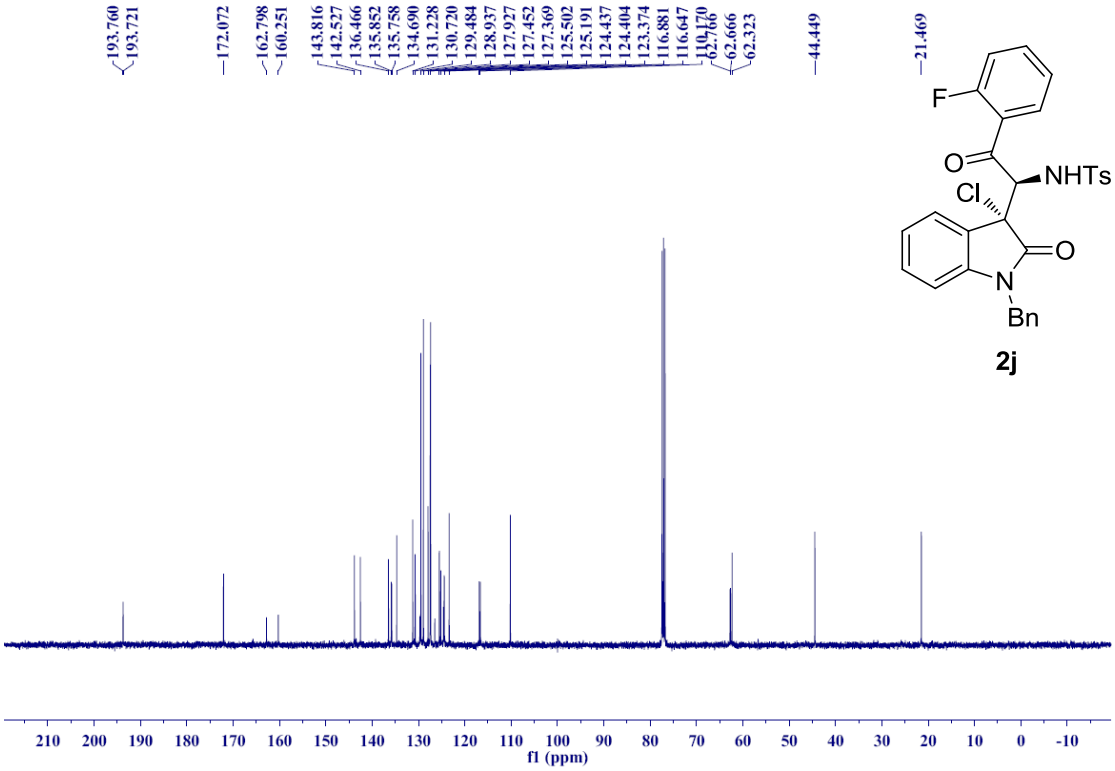
7.092
7.078
7.058
6.944
6.658
6.638
6.630
6.224
5.758
5.751
5.733
5.725
5.028
4.989
4.806
4.767
2.248
-0.000
2.08
2.17
6.04
1.12
4.08
1.03
1.02
1.00
1.01
1.01
1.00
3.05
F
O
NHTs
Cl
O
N
Bn
2j
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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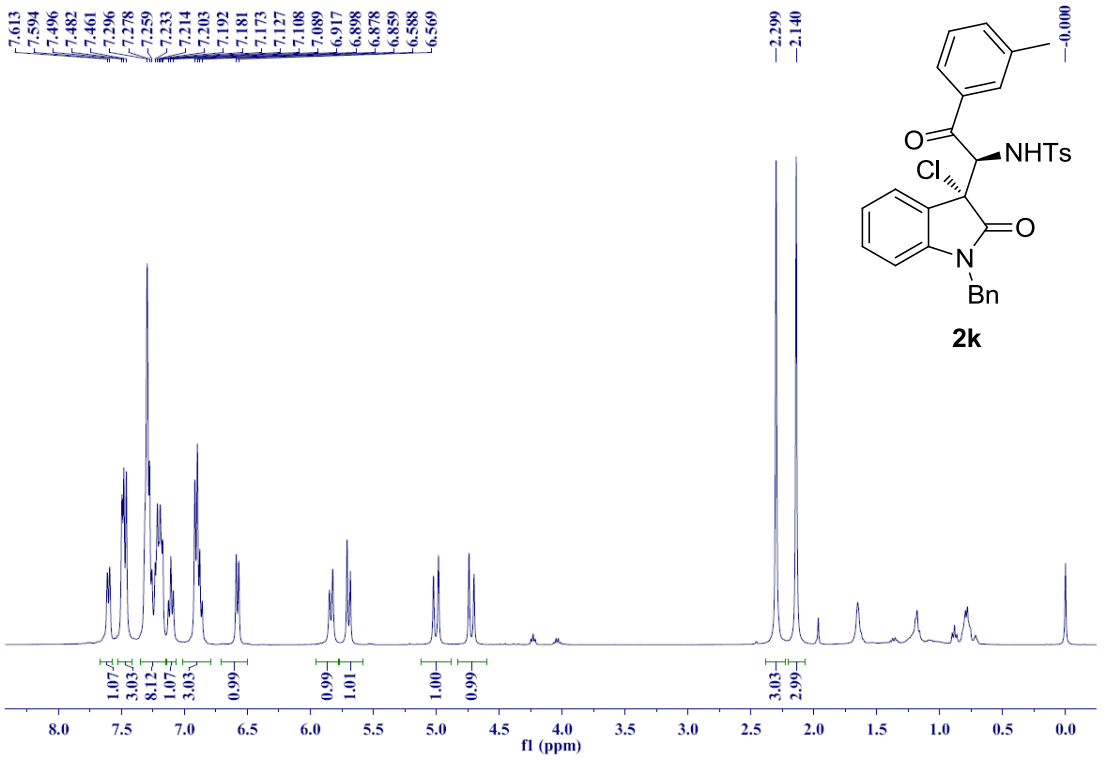
130.720
129.484
128.937
127.927
127.452
127.369
125.502
125.191
124.437
124.404
123.374
116.881
116.647
110.170
62.766
62.666
62.323
44.449
21.469
F
O
NHTs
Cl
O
N
Bn
2j
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.482
7.461
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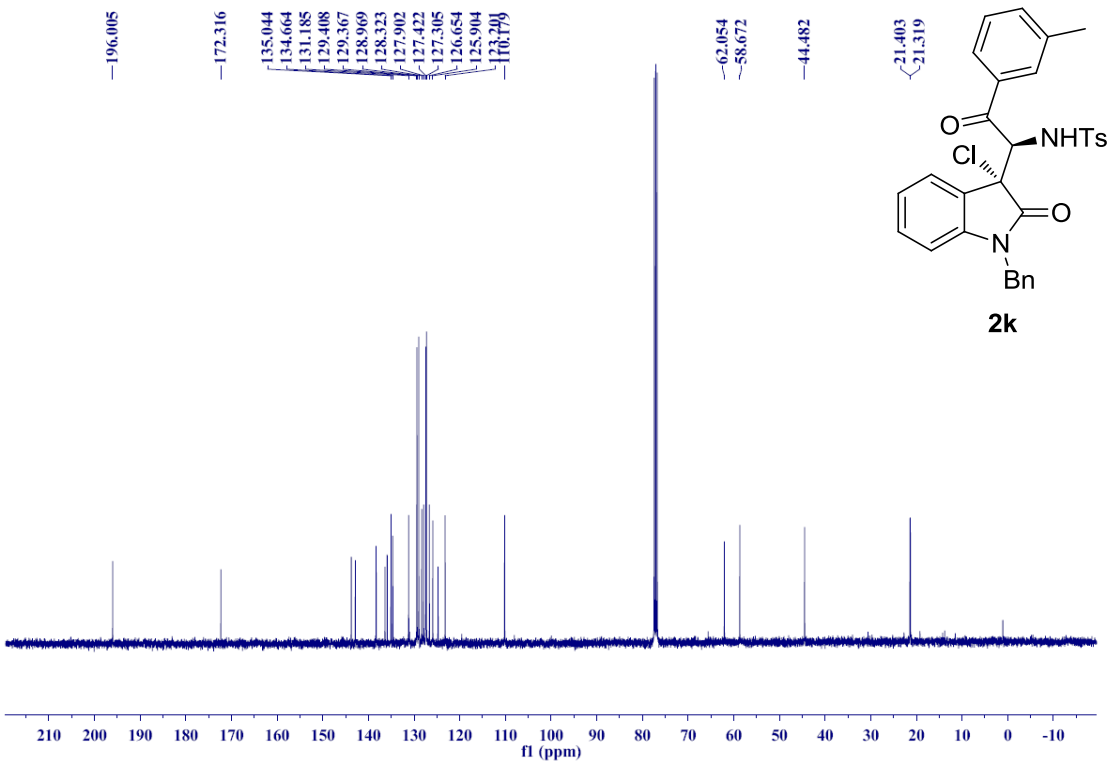
7.259
7.233
7.214
7.203
7.192
7.181
7.173
7.127
7.108
7.089
6.917
6.898
6.878
6.859
6.588
6.569
2.299
2.140
0.000
1.07
3.03
8.12
1.07
3.03
0.99
0.99
1.01
1.00
0.99
3.03
2.99
f1 (ppm)
O
NHTs
Cl
O
N
Bn
2k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128.969
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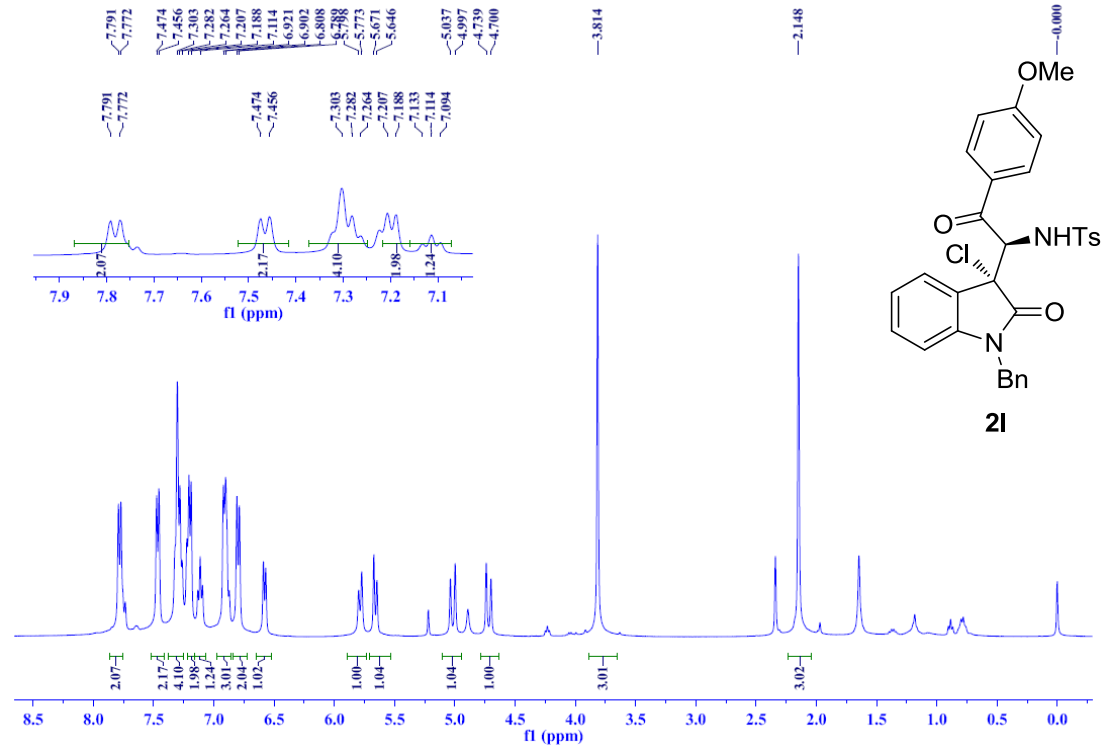
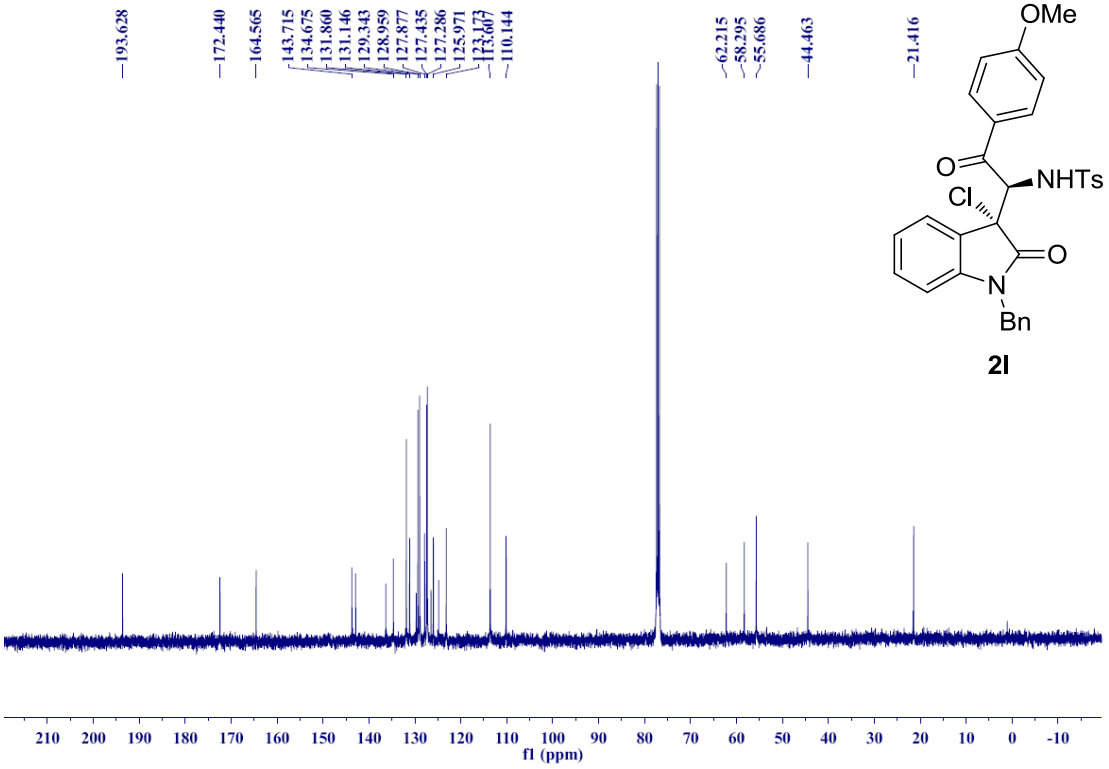
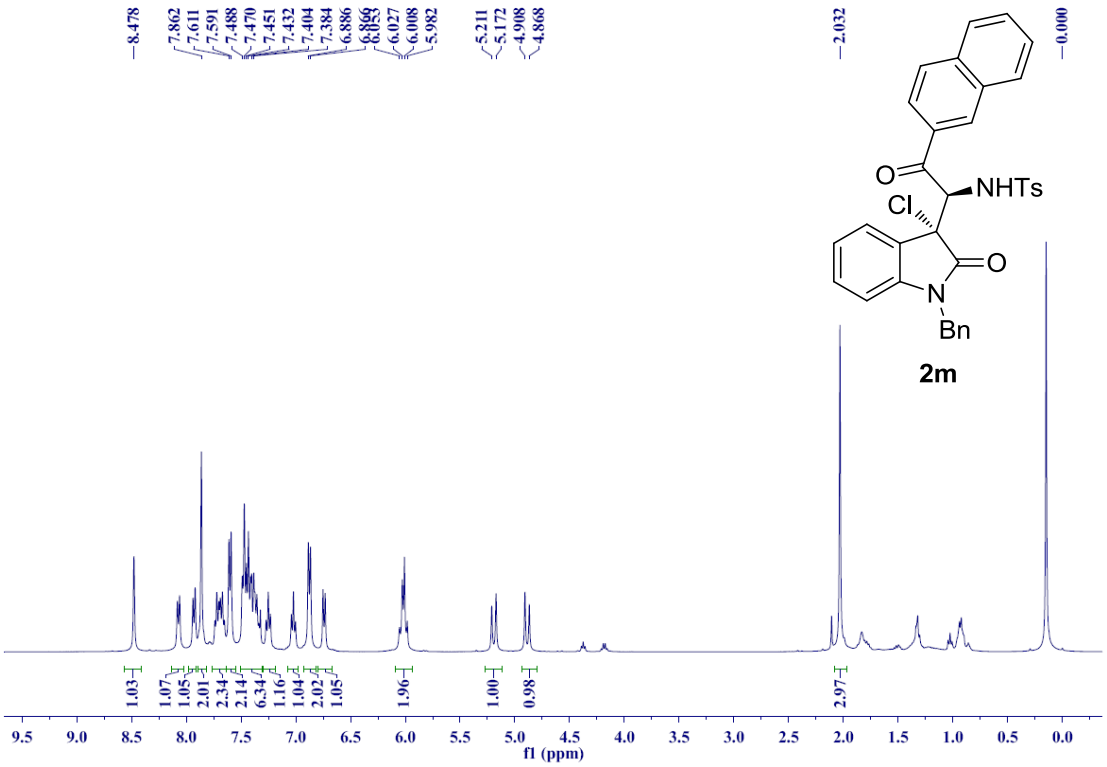
127.902
127.422
127.305
126.654
125.904
62.054
58.672
44.482
21.403
21.319
f1 (ppm)
O
NHTs
Cl
O
N
Bn
2k


OMe
O
NHTs
Cl
O
N
Bn
2l
f1 (ppm)


OMe
O
NHTs
Cl
O
N
Bn
2l
f1 (ppm)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7.432
7.404
7.384
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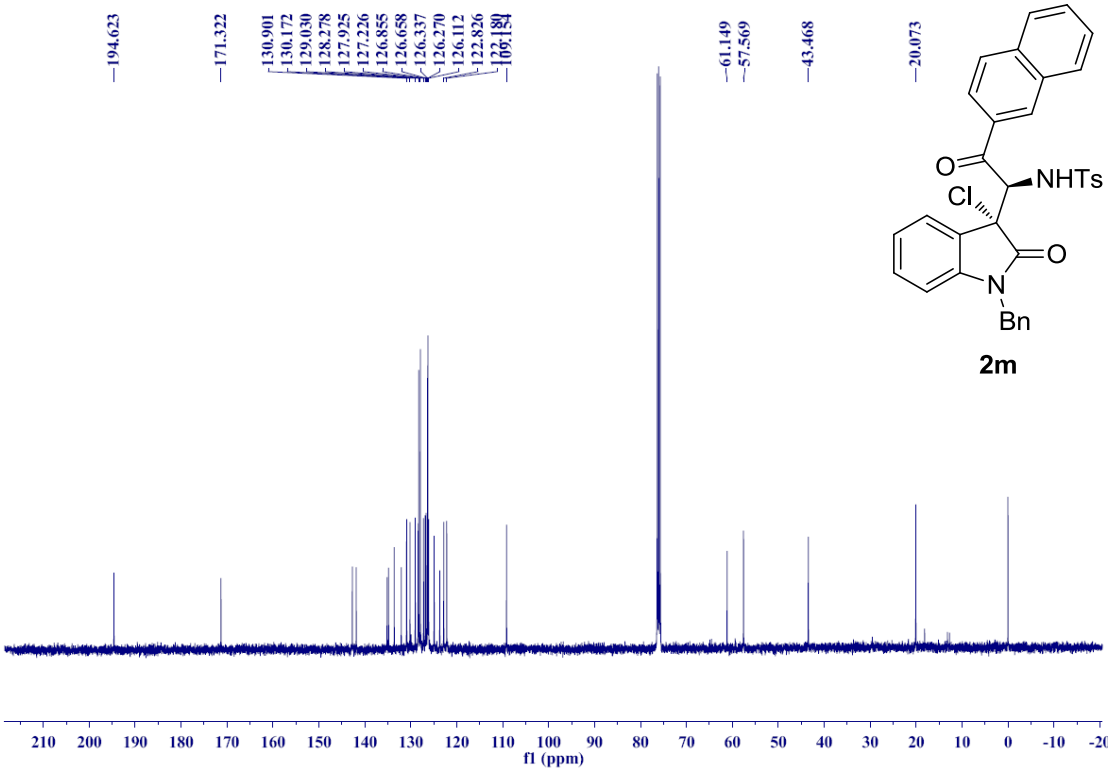
6.027
6.008
5.982
5.211
5.172
4.908
4.868
2.032
0.000
1.03
1.07
1.05
2.01
2.34
2.14
6.34
1.16
1.04
2.02
1.05
1.96
1.00
0.98
2.97
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)
O
NHTs
Cl
O
N
Bn
2m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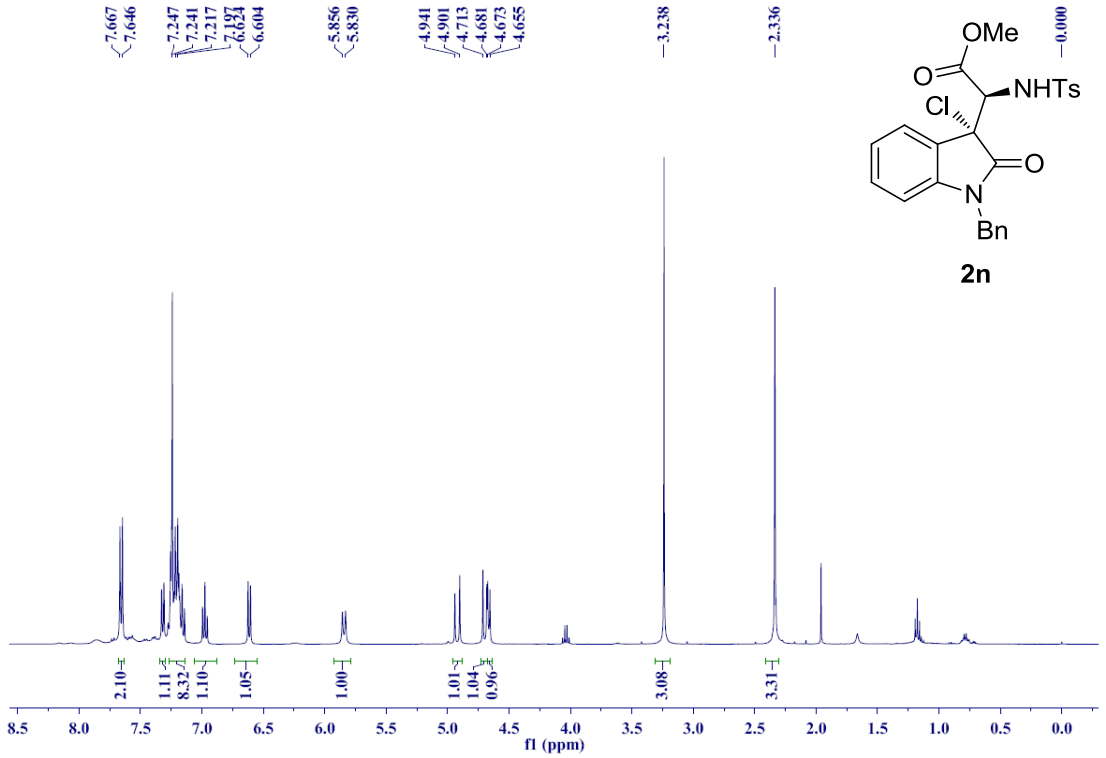
127.925
127.226
126.855
126.658
126.337
126.270
126.112
122.826
61.149
57.569
43.468
20.073
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 -20
f1 (ppm)
O
NHTs
Cl
O
N
Bn
2m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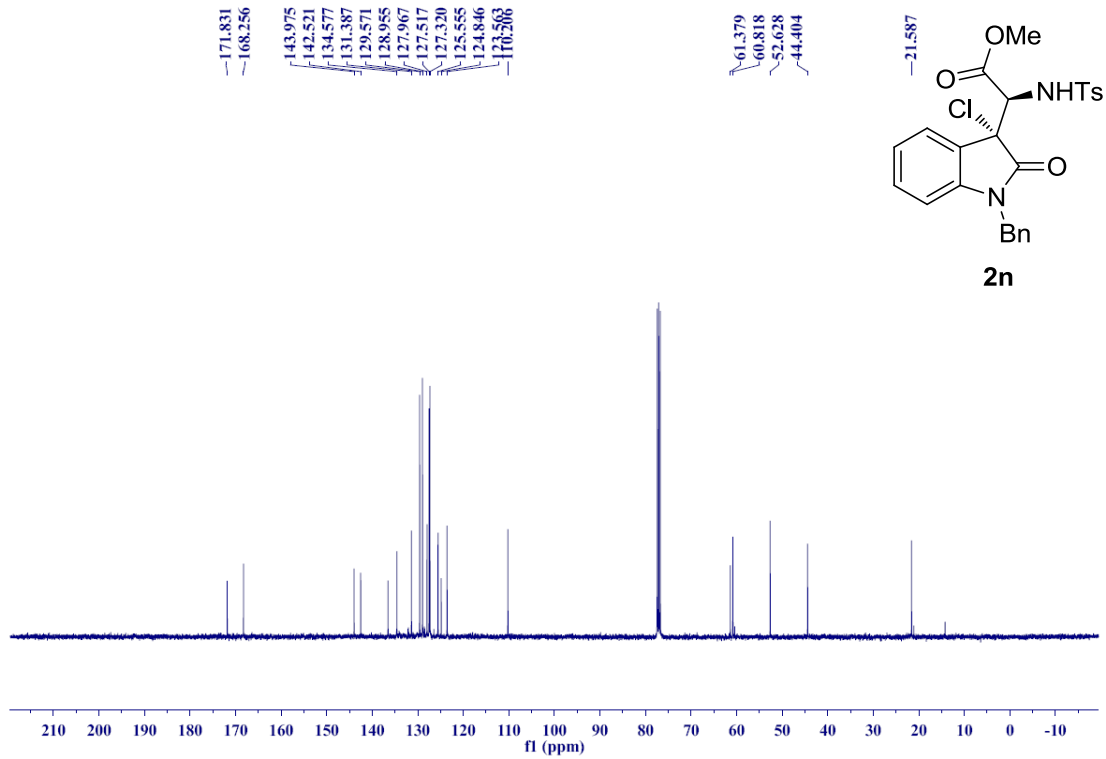
7.241
7.217
6.624
6.604
5.856
5.830
4.941
4.901
4.713
4.681
4.673
4.655
3.238
2.336
0.000
OMe
O
NHTs
Cl
O
N
Bn
2n
2.10
1.11
8.32
1.10
1.05
1.00
1.01
1.04
0.96
3.08
3.31
fl (ppm)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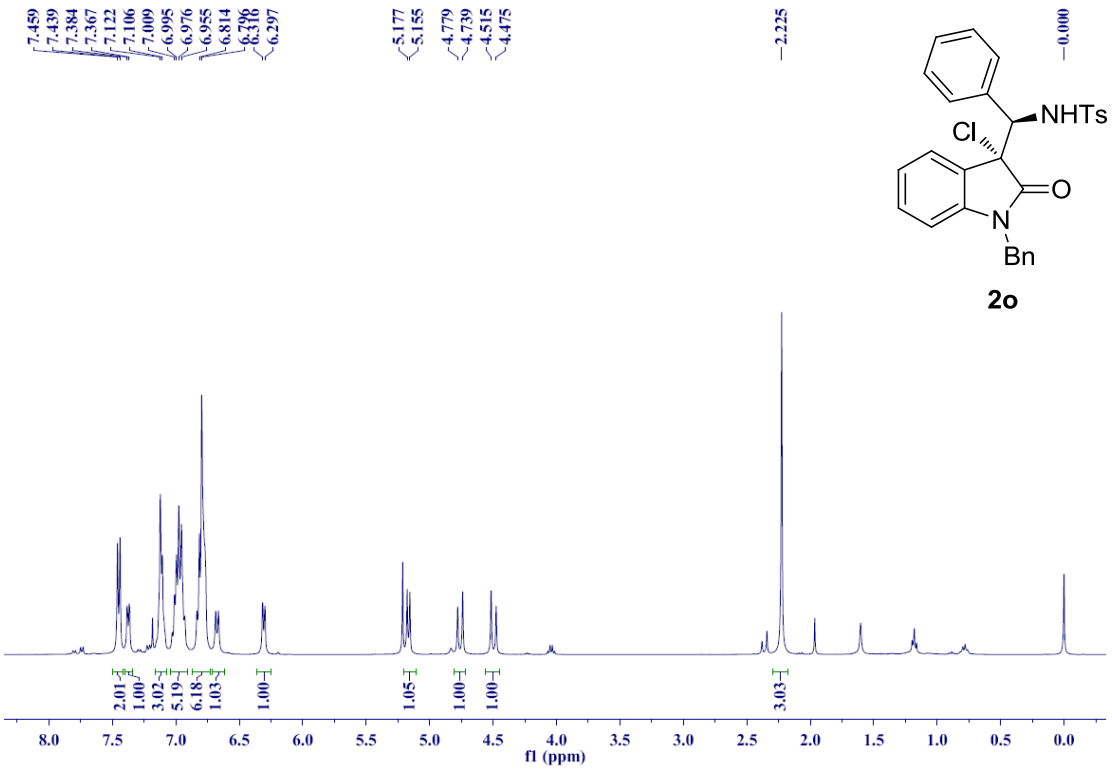
127.517
127.320
125.555
124.846
123.563
110.206
61.379
60.818
52.628
44.404
21.587
OMe
O
NHTs
Cl
O
N
Bn
2n
fl (ppm)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7.106
7.009
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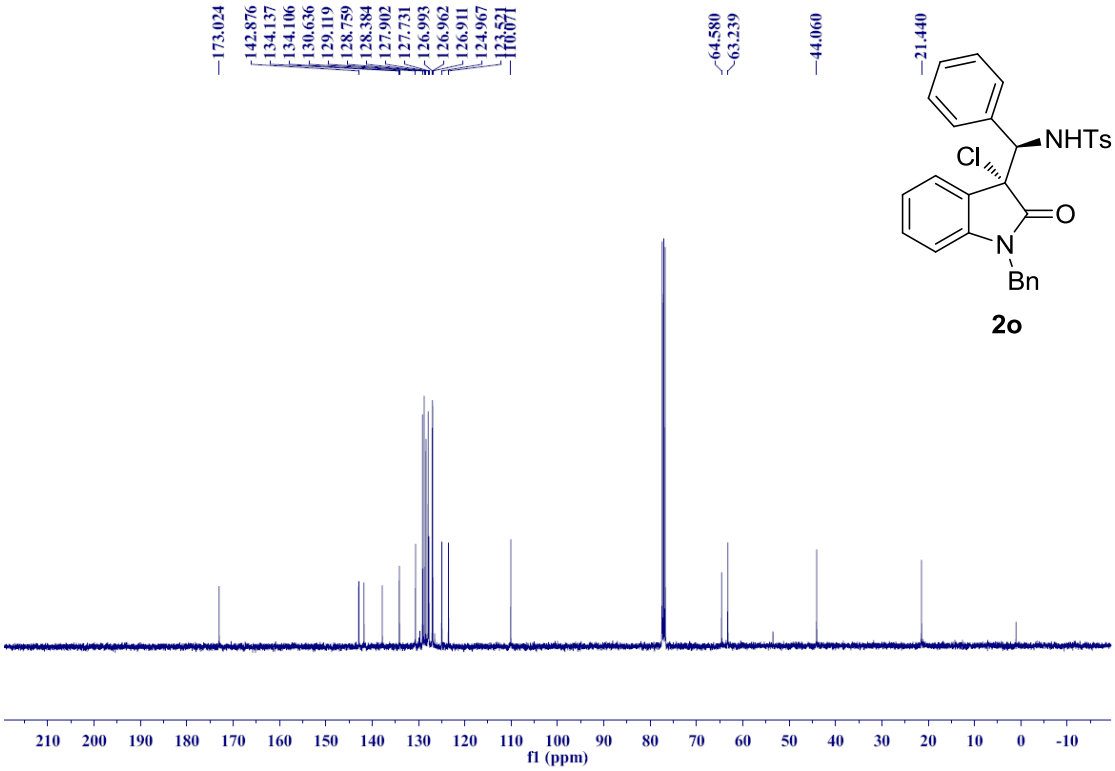
6.976
6.955
6.814
6.316
6.297
5.177
5.155
4.779
4.739
4.515
4.475
2.225
0.000
NHTs
Cl
O
N
Bn
2o
2.01
1.00
3.02
5.19
6.18
1.03
1.00
1.05
1.00
1.00
3.03
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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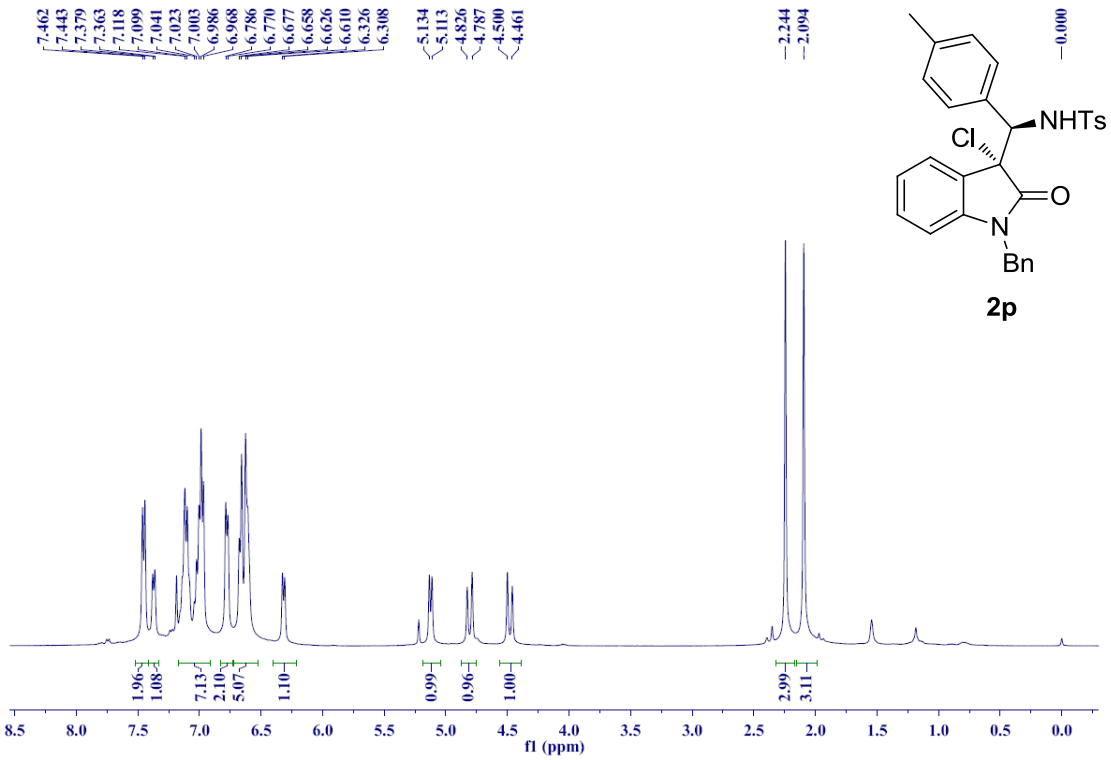
128.759
128.384
127.902
127.731
126.993
126.962
126.911
124.967
64.580
63.239
44.060
21.440
NHTs
Cl
O
N
Bn
2o
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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6.968
6.786
6.770
6.677
6.658
6.626
6.610
6.326
6.308
5.134
5.113
4.826
4.787
4.500
4.461
2.244
2.094
0.000
NHTs
Cl
O
N
Bn
2p
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2.10
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1.10
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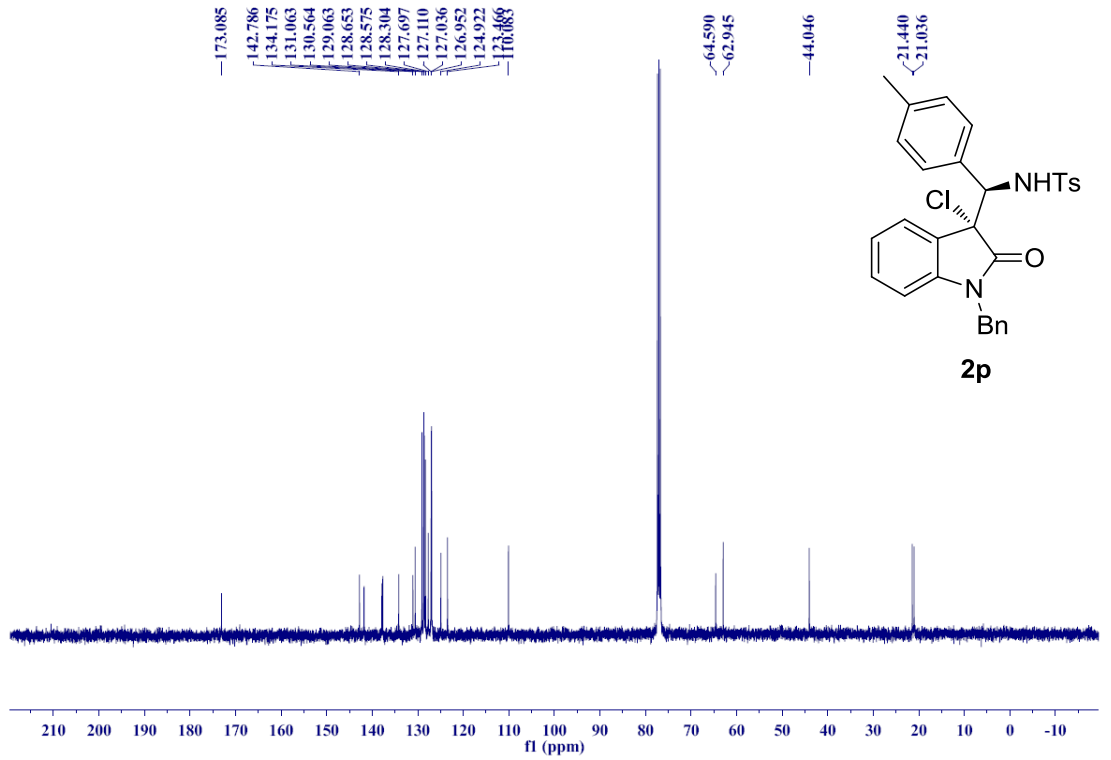
0.96
1.00
2.99
3.11
f1 (ppm)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127.036
126.952
124.922
123.466
110.083
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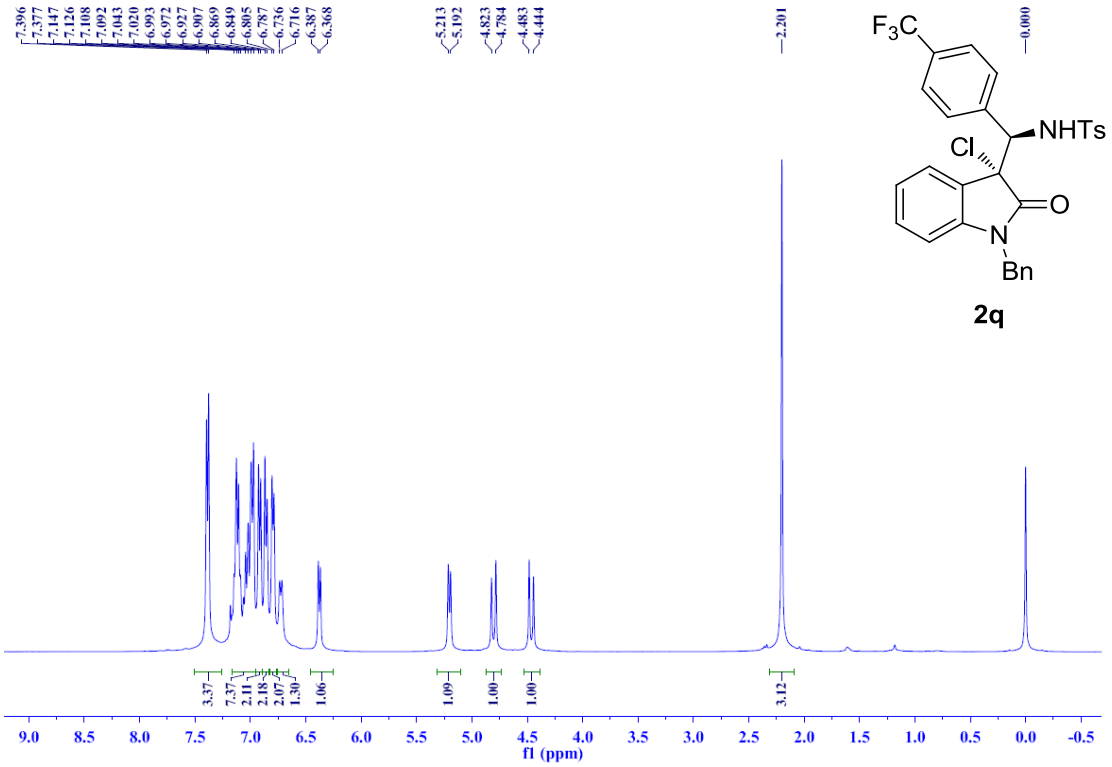
44.046
21.440
21.036
NHTs
Cl
O
N
Bn
2p
f1 (ppm)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6.869
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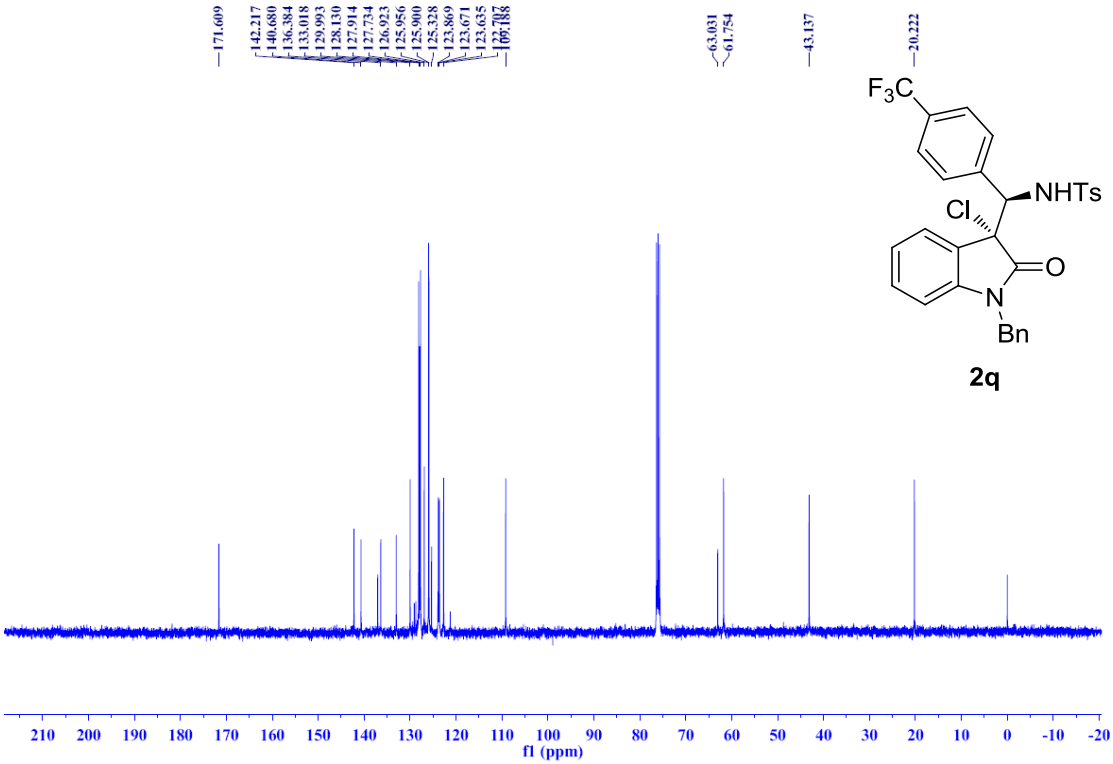
6.805
6.787
6.736
6.716
6.387
6.368
5.213
5.192
4.823
4.784
4.483
4.444
2.201
0.000
3.37
7.37
2.11
2.18
2.07
1.30
1.06
1.09
1.00
1.00
3.12
F3C
NHTs
Cl
O
N
Bn
2q
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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133.018
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128.130
127.914
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126.923
125.956
125.900
125.328
123.869
123.671
123.635
63.031
61.754
43.137
20.222
F3C
NHTs
Cl
O
N
Bn
2q
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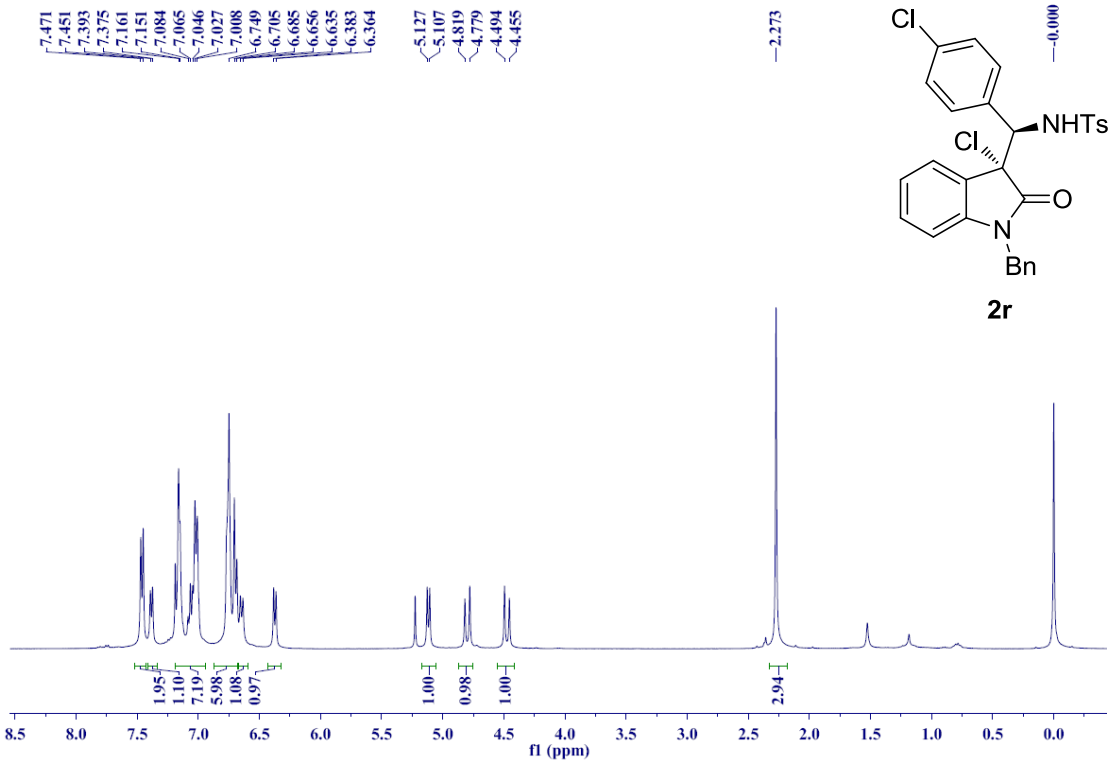
f1 (ppm)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7.027
7.008
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6.705
6.685
6.656
6.635
6.383
6.364
5.127
5.107
4.819
4.779
4.494
4.455
2.273
0.000
Cl
NHTs
Cl
O
N
Bn
2r
1.95
1.10
7.19
5.98
1.08
0.97
1.00
0.98
1.00
2.94
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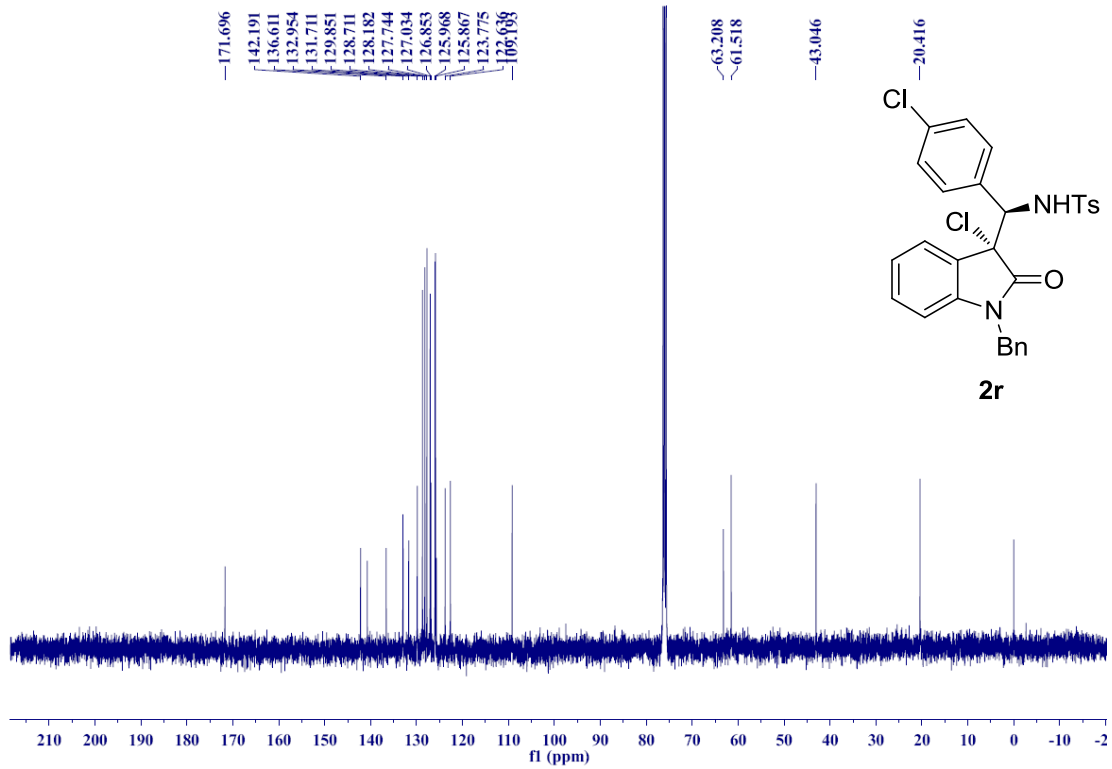
f1 (ppm)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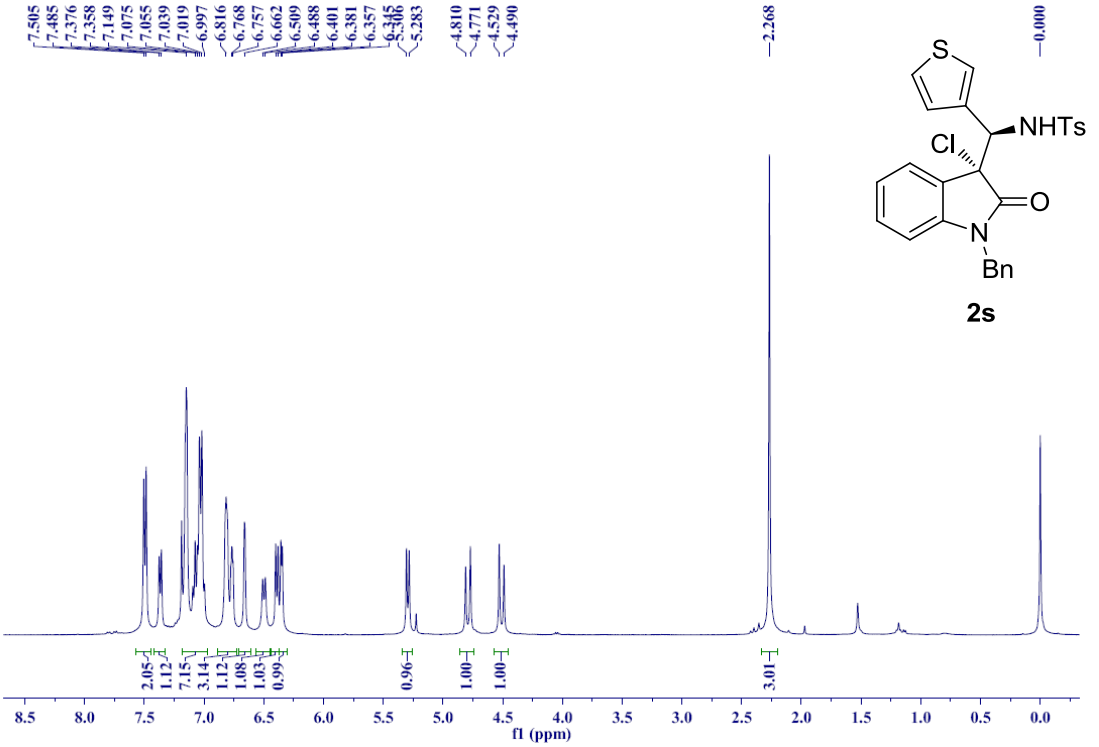
125.867
123.775
122.636
109.193
63.208
61.518
43.046
20.416
Cl
NHTs
Cl
O
N
Bn
2r
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 -2
f1 (ppm)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6.997
6.816
6.768
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6.662
6.509
6.488
6.401
6.381
6.357
6.345
6.306
5.283
4.810
4.771
4.529
4.490
2.268
0.000
S
NHTs
Cl
O
N
Bn
2s
2.05
1.12
7.15
3.14
1.12
1.08
1.03
0.99
0.96
1.00
1.00
3.01
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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4.5
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3.5
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2.5
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1.0
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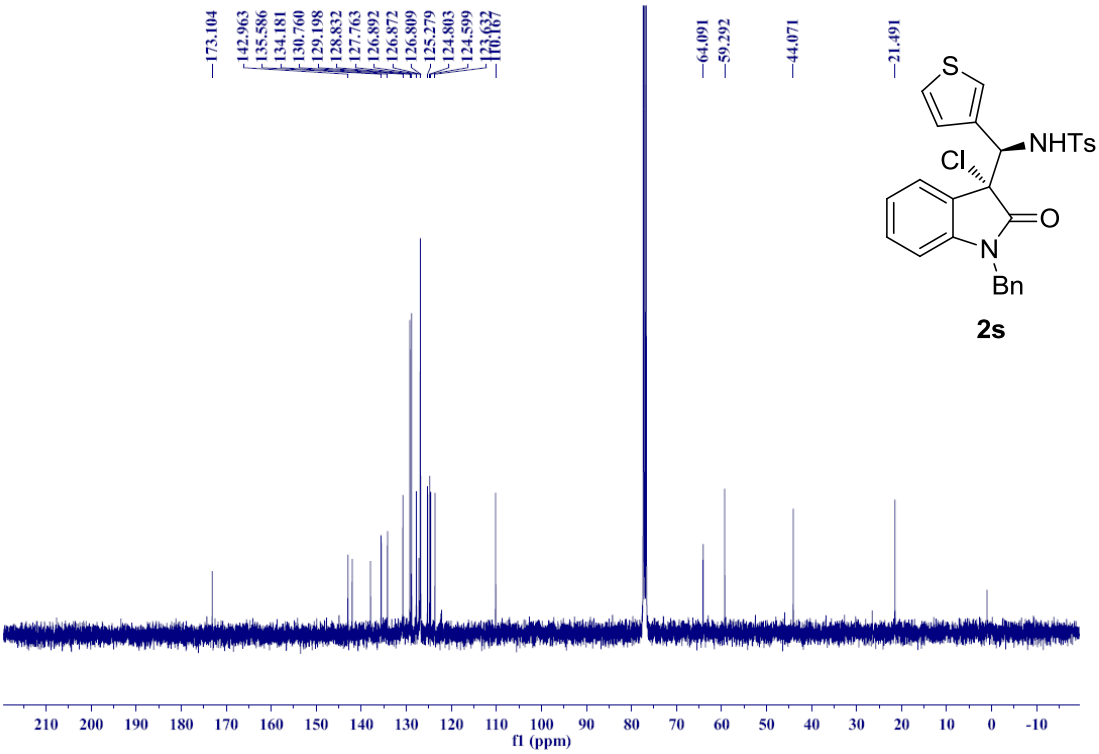
f1 (ppm)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127.763
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126.872
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125.279
124.803
124.599
123.632
110.167
64.091
59.292
44.071
21.491
S
NHTs
Cl
O
N
Bn
2s
210
200
190
180
170
160
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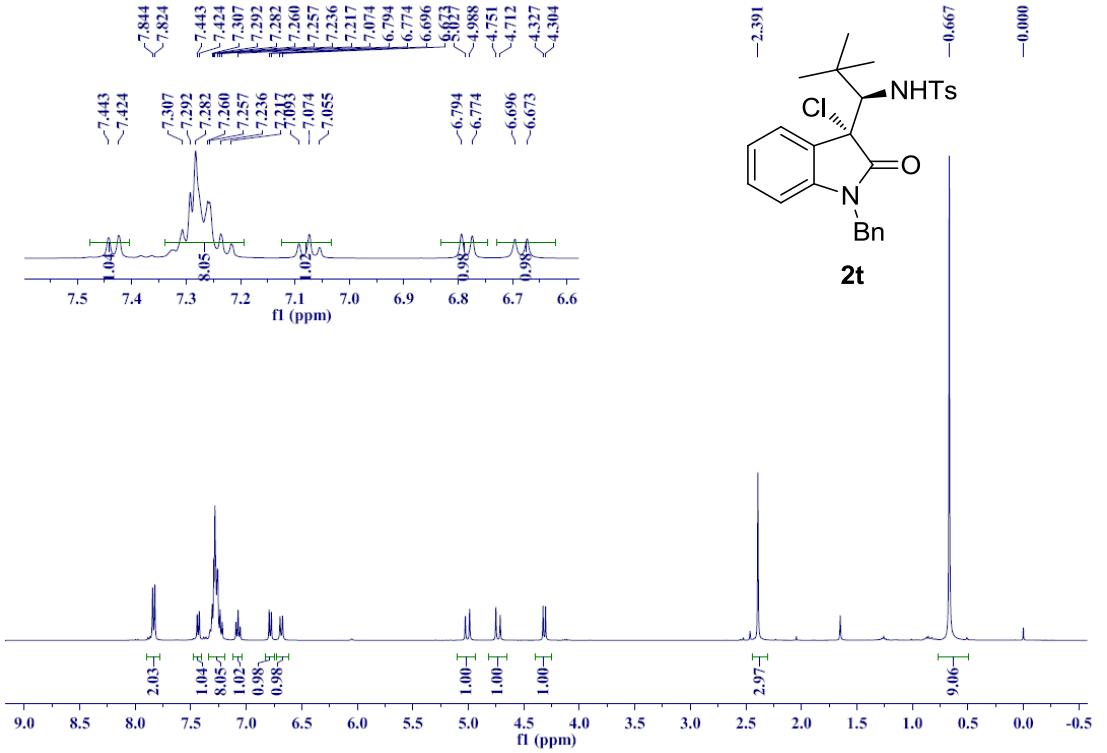
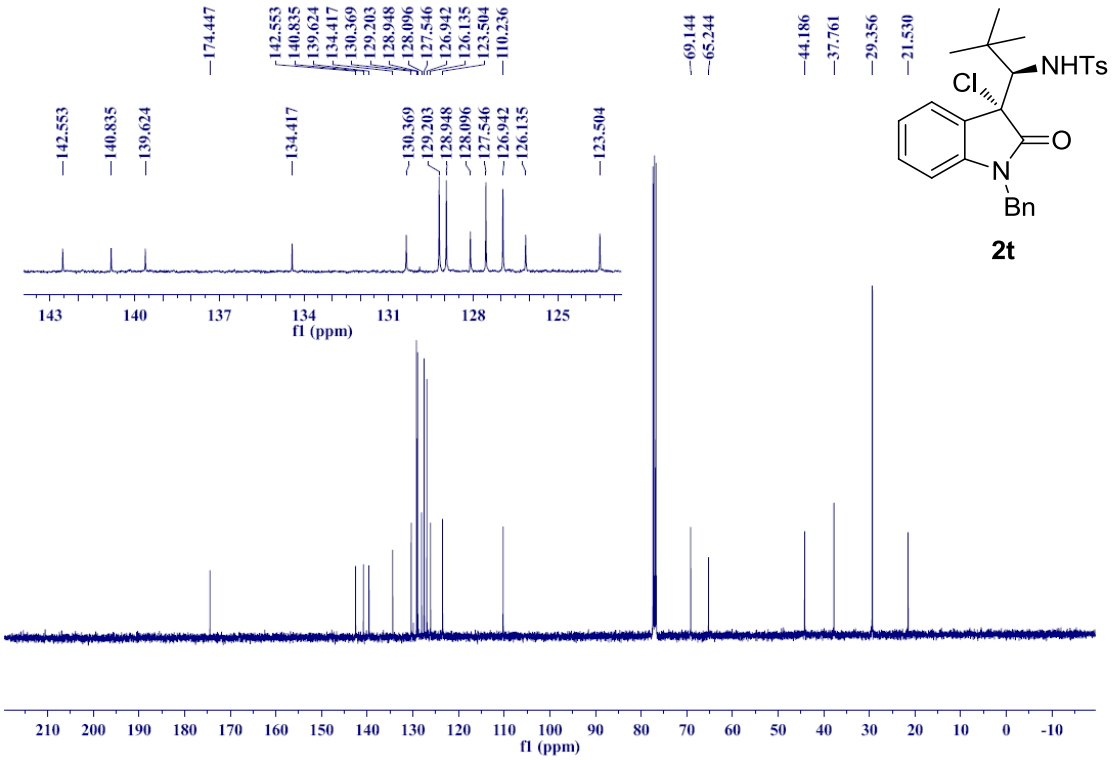
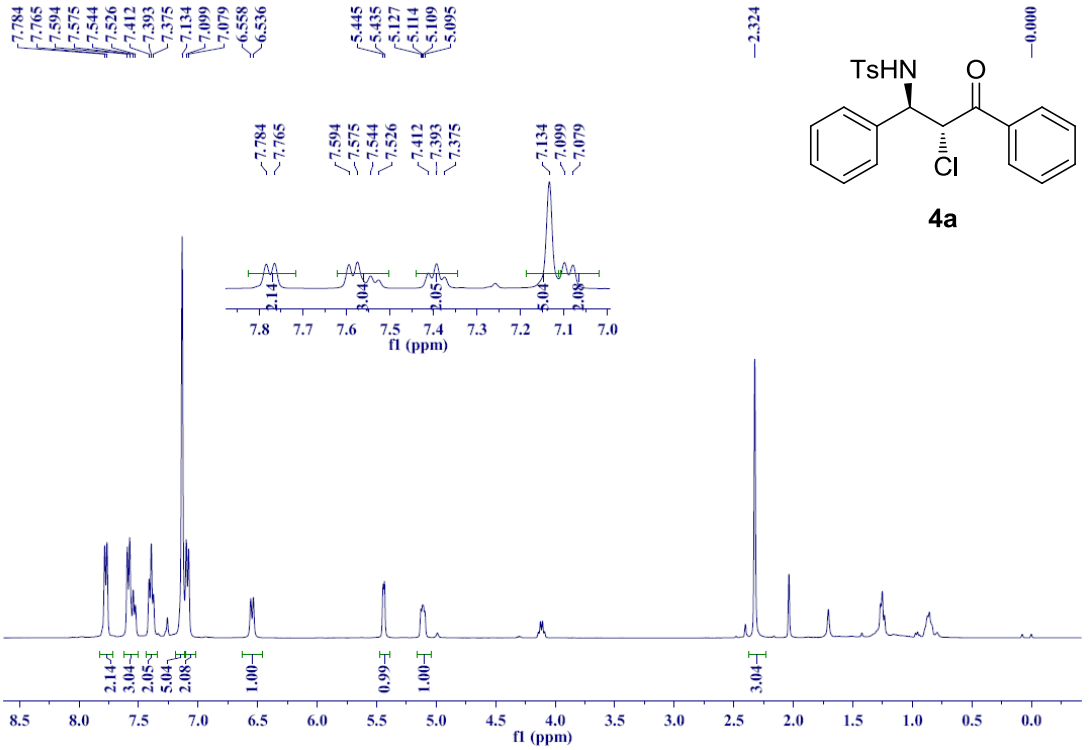
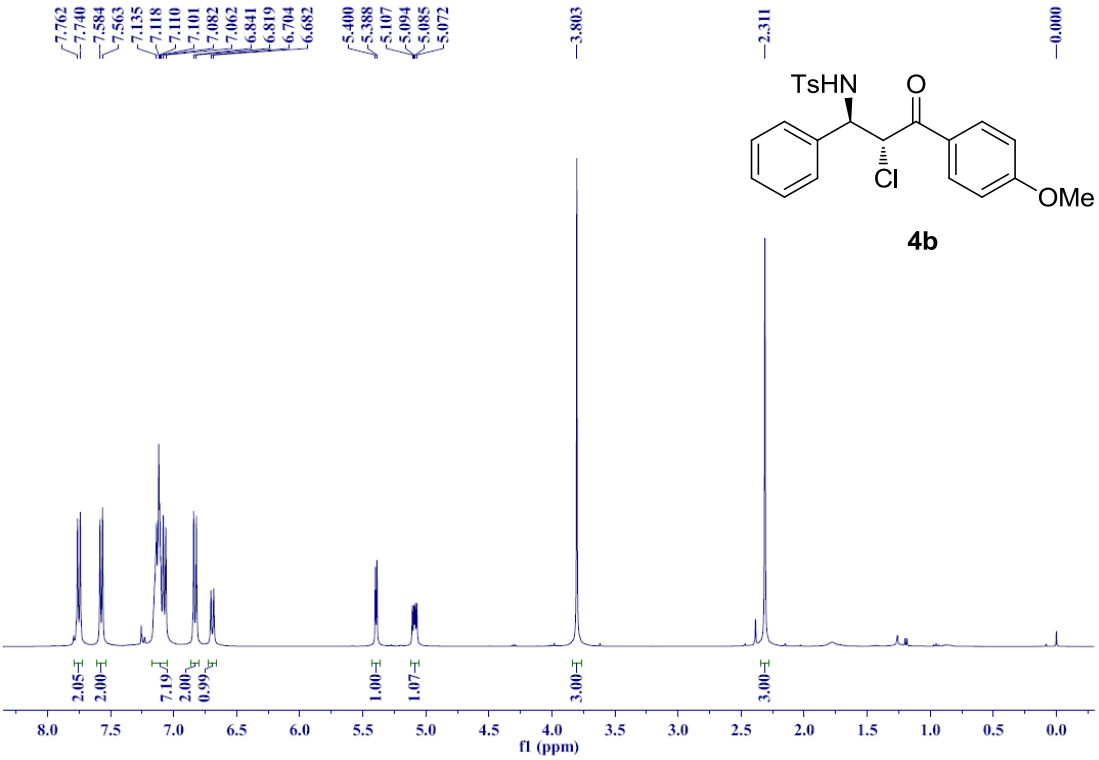
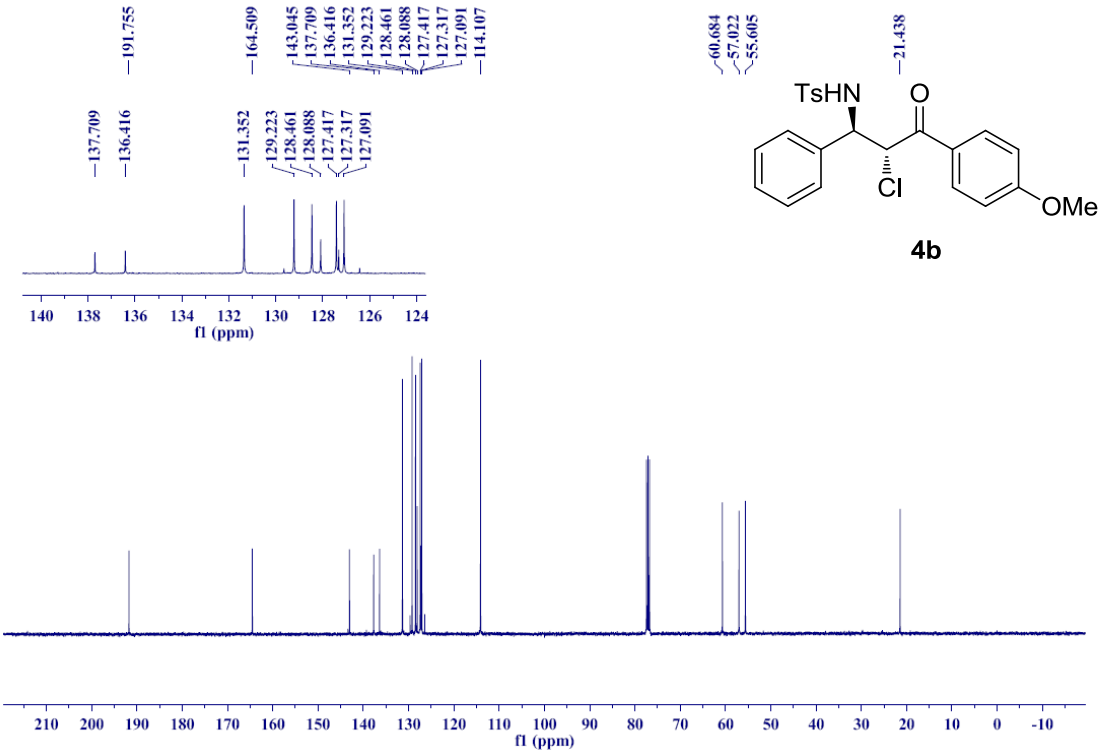
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

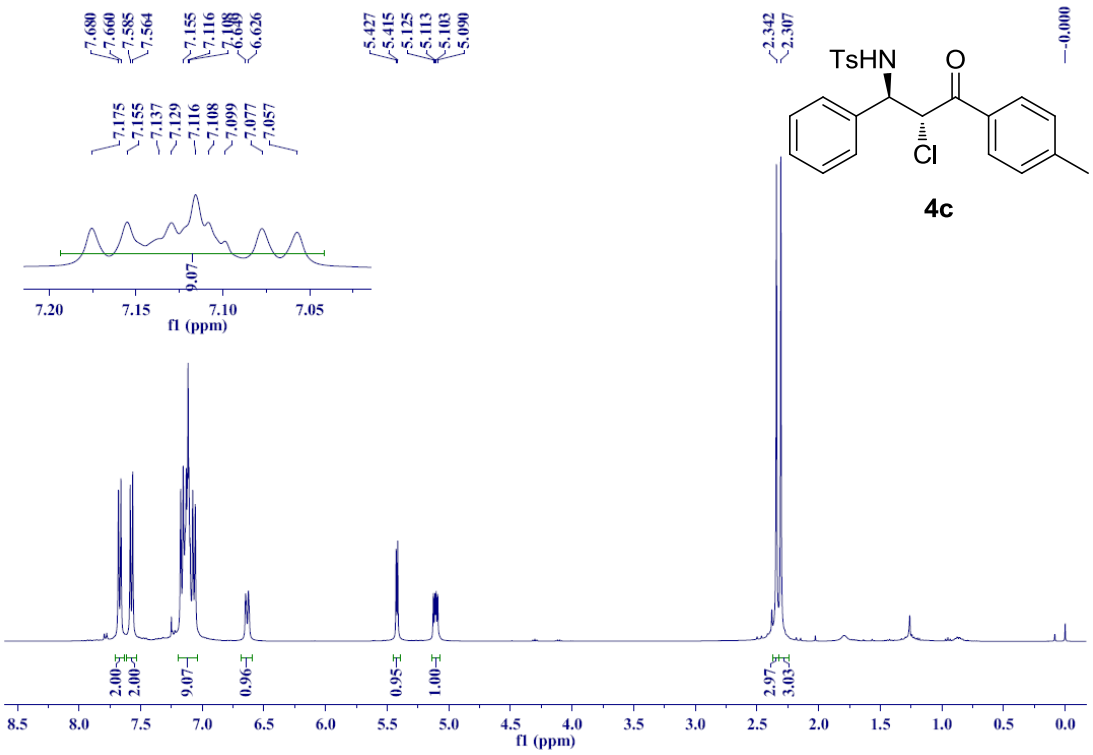

NHTs
Cl
O
N
Bn
2t
f1 (ppm)

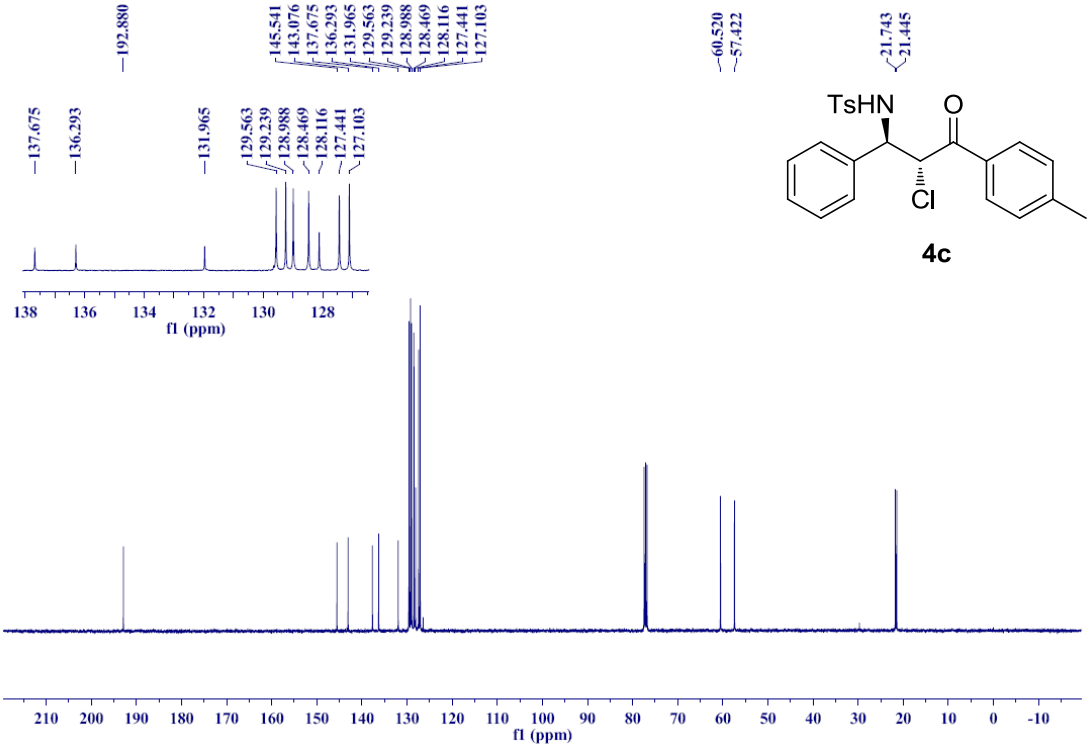

NHTs
Cl
O
N
Bn
2t
f1 (ppm)


TsHN
O
Cl
4a
f1 (ppm)


TsHN
O
Cl
OMe
4b
f1 (ppm)



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143.076
137.675
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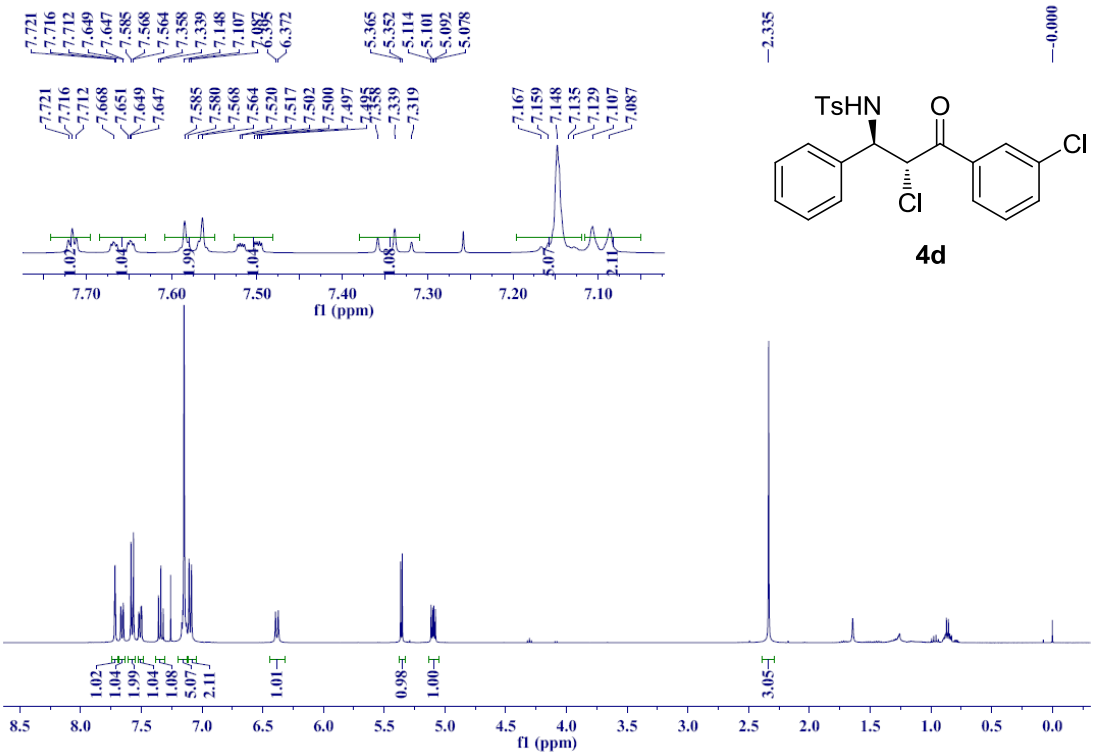
131.965
129.563
129.239
128.988
128.469
128.116
127.441
127.103
60.520
57.422
21.743
21.445
TsHN
O
Cl
4c
f1 (ppm)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0.000
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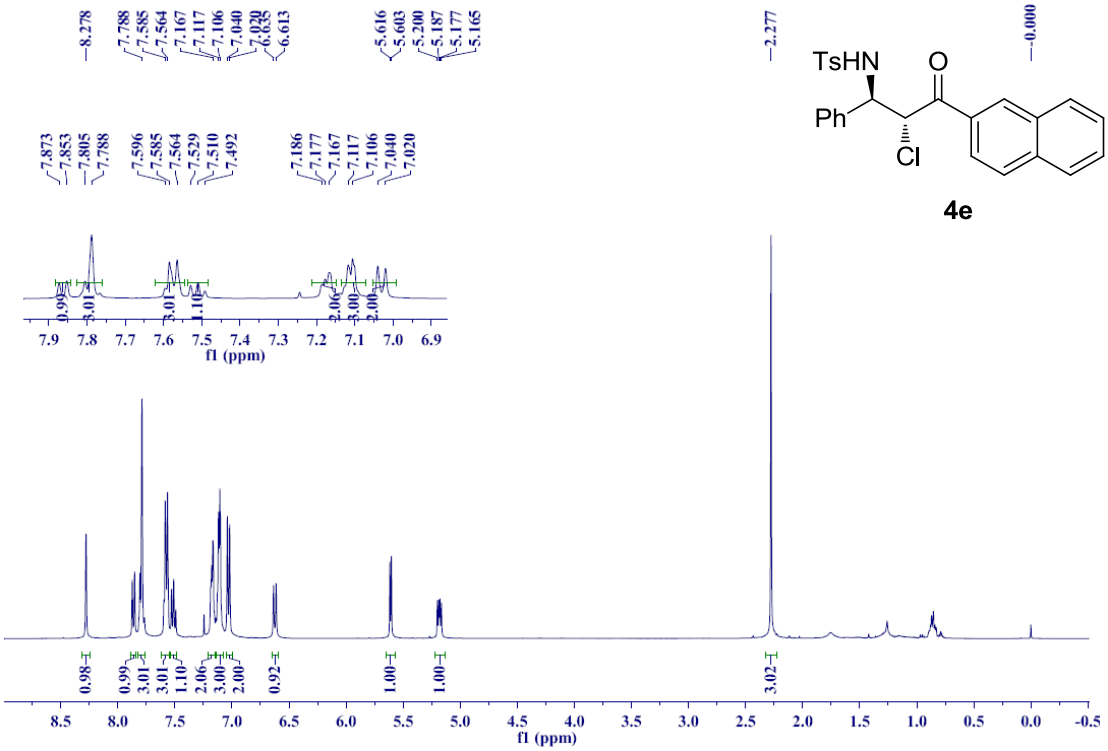
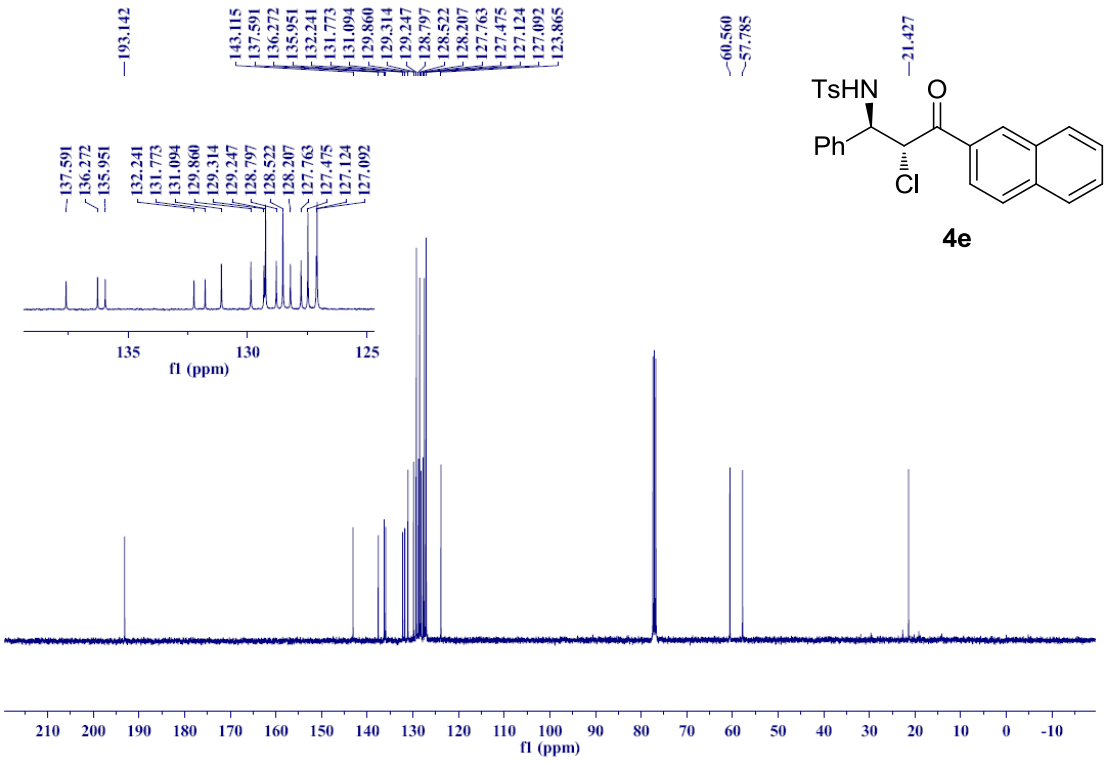
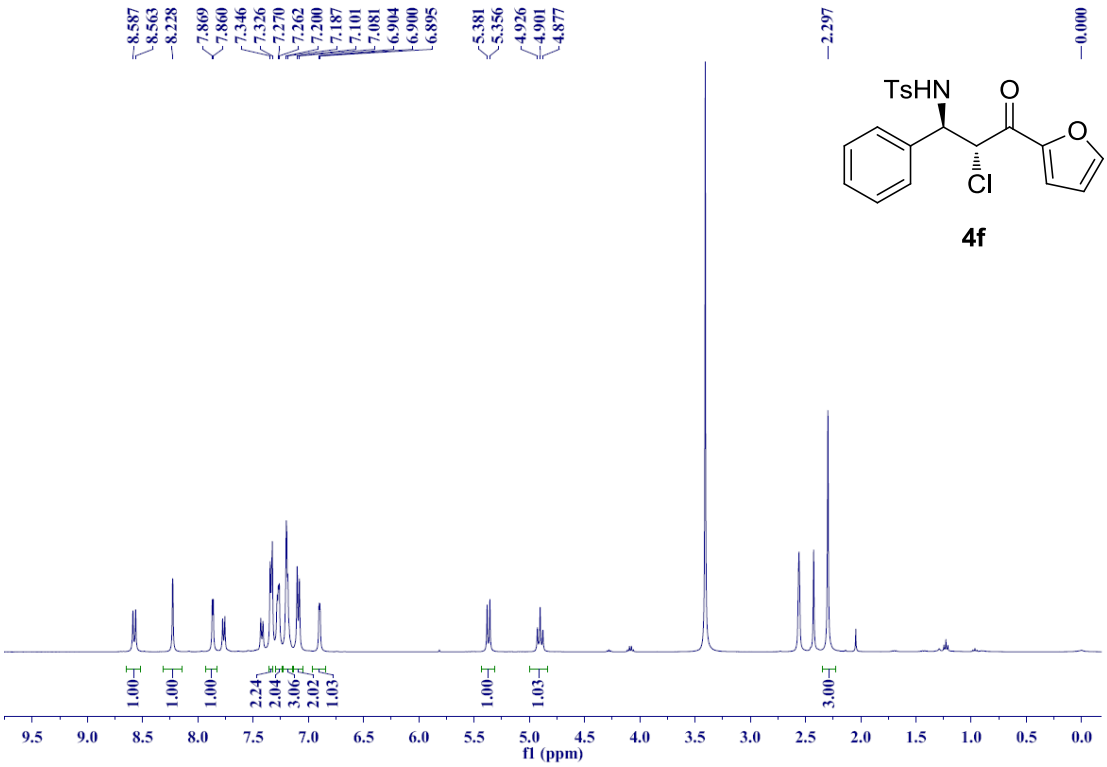
Cl
Cl
4d
f1 (ppm)


TsHN
O
Ph
Cl
4e
f1 (ppm)


TsHN
O
Ph
Cl
4e
f1 (ppm)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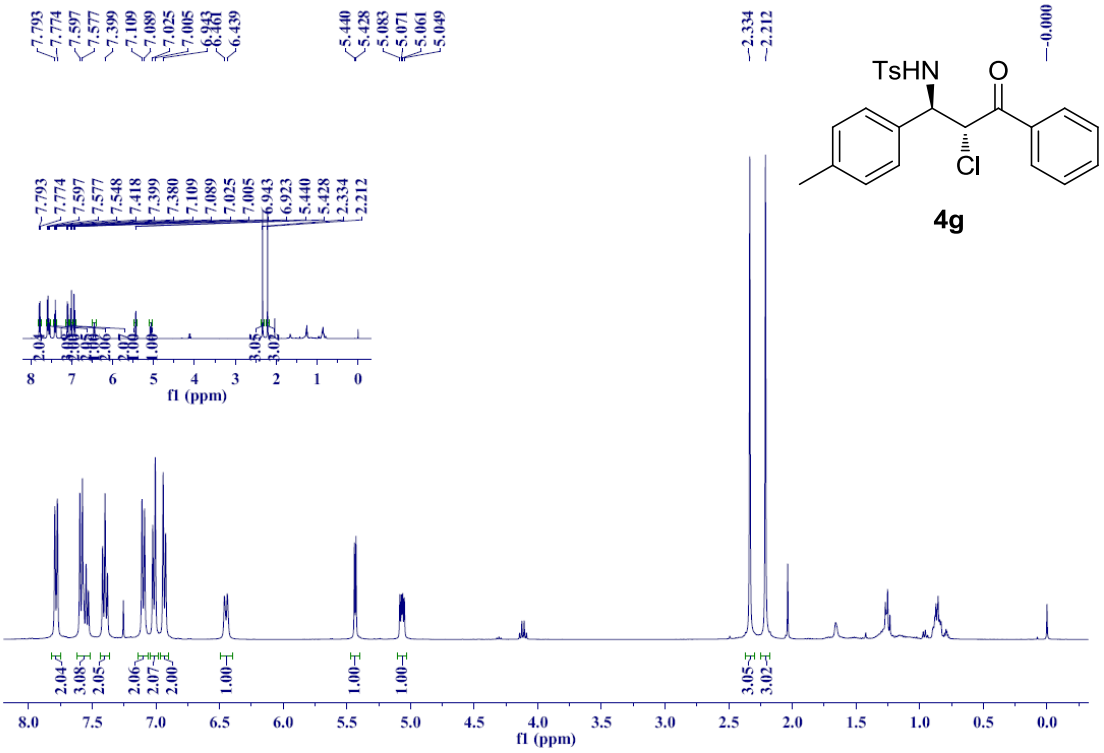
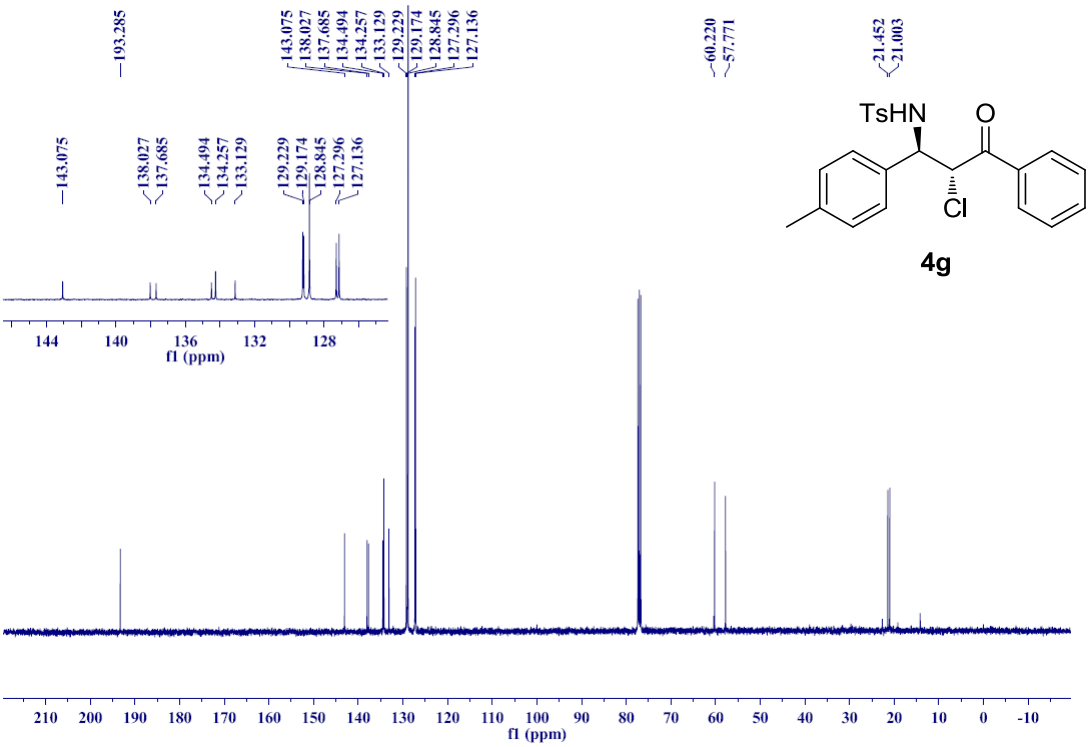
7.346
7.326
7.270
7.262
7.200
7.187
7.101
7.081
6.904
6.900
6.895
5.381
5.356
4.926
4.901
4.877
2.297
0.000
TsHN
O
O
Cl
4f
1.00
1.00
1.00
2.24
2.04
3.06
2.02
1.03
1.00
1.03
3.00
f1 (ppm)


7.793
7.774
7.597
7.577
7.399
7.109
7.089
7.025
7.005
6.943
6.461
6.439
5.440
5.428
5.083
5.071
5.061
5.049
2.334
2.212
0.000
TsHN
O
Cl
4g
f1 (ppm)
2.04
3.08
2.05
2.06
2.07
2.00
1.00
1.00
1.00
3.05
3.02
f1 (ppm)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143.075
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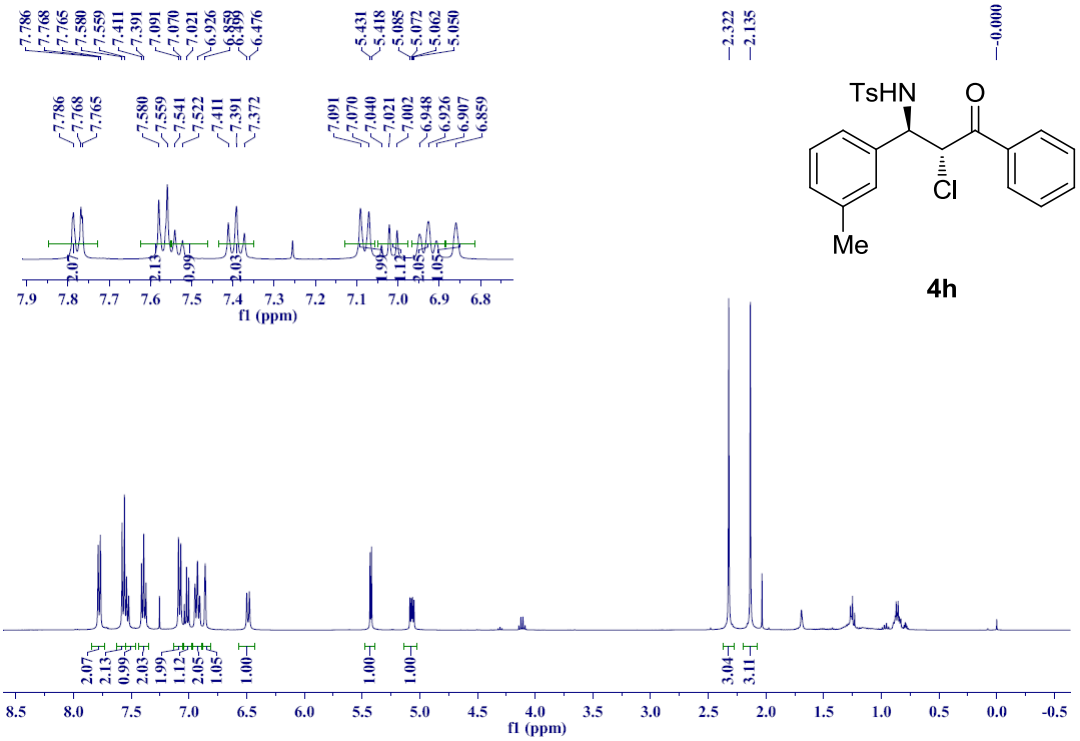
137.685
134.494
134.257
133.129
129.229
129.174
128.845
127.296
127.136
60.220
57.771
21.452
21.003
TsHN
O
Cl
4g
f1 (ppm)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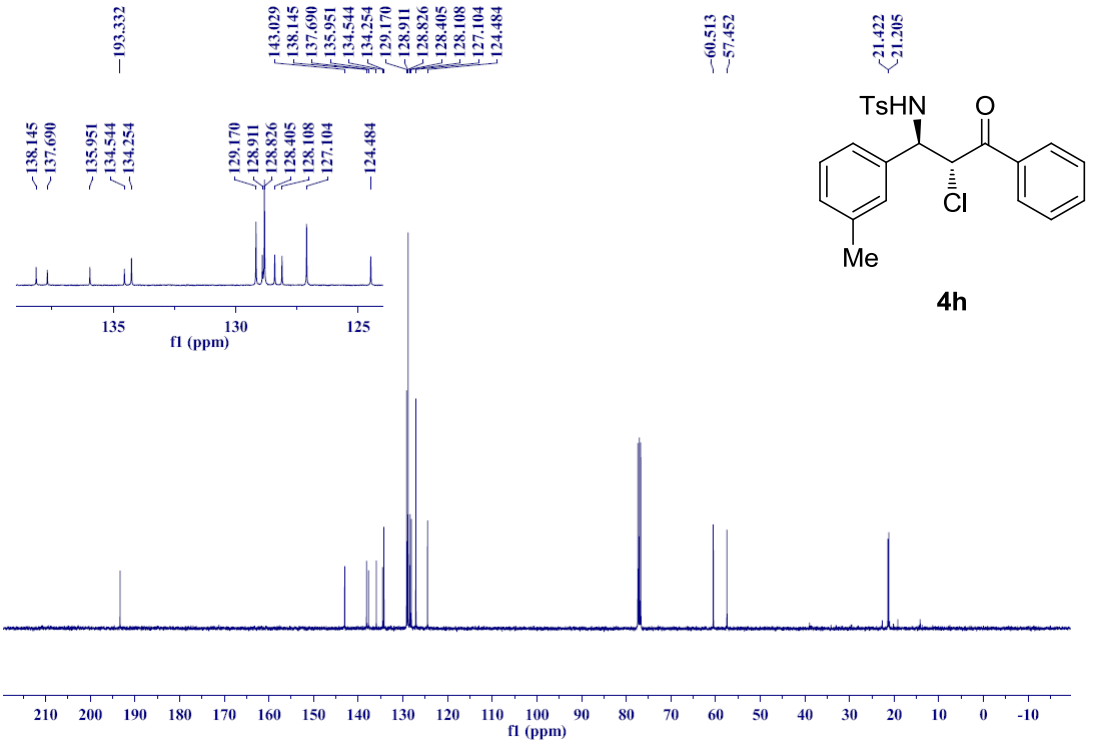
7.768
7.765
7.580
7.559
7.411
7.391
7.091
7.070
7.021
6.926
6.859
6.499
6.476
5.431
5.418
5.085
5.072
5.062
5.050
2.322
2.135
0.000
TsHN
O
Cl
Me
4h
f1 (ppm)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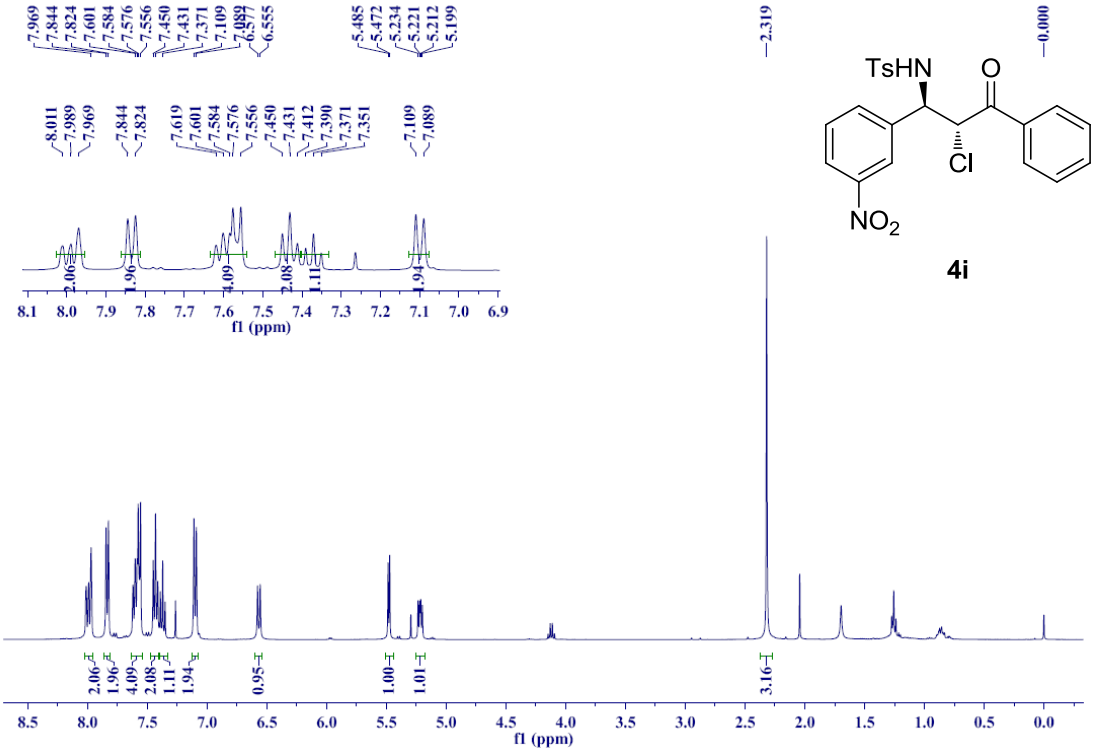
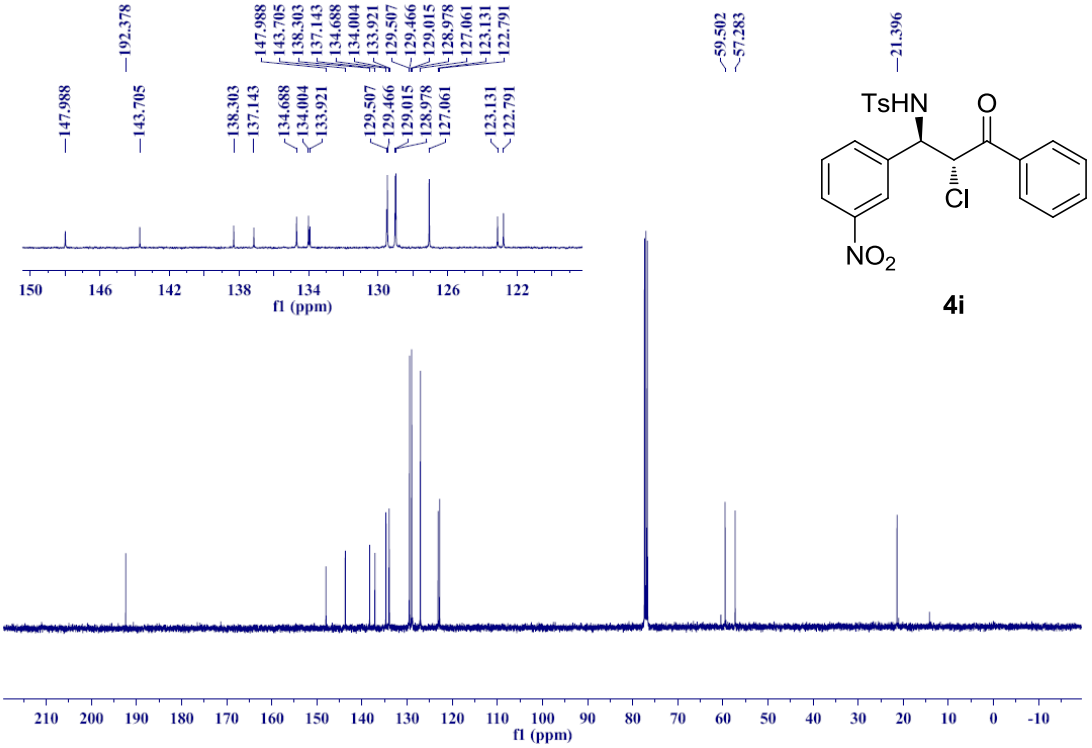
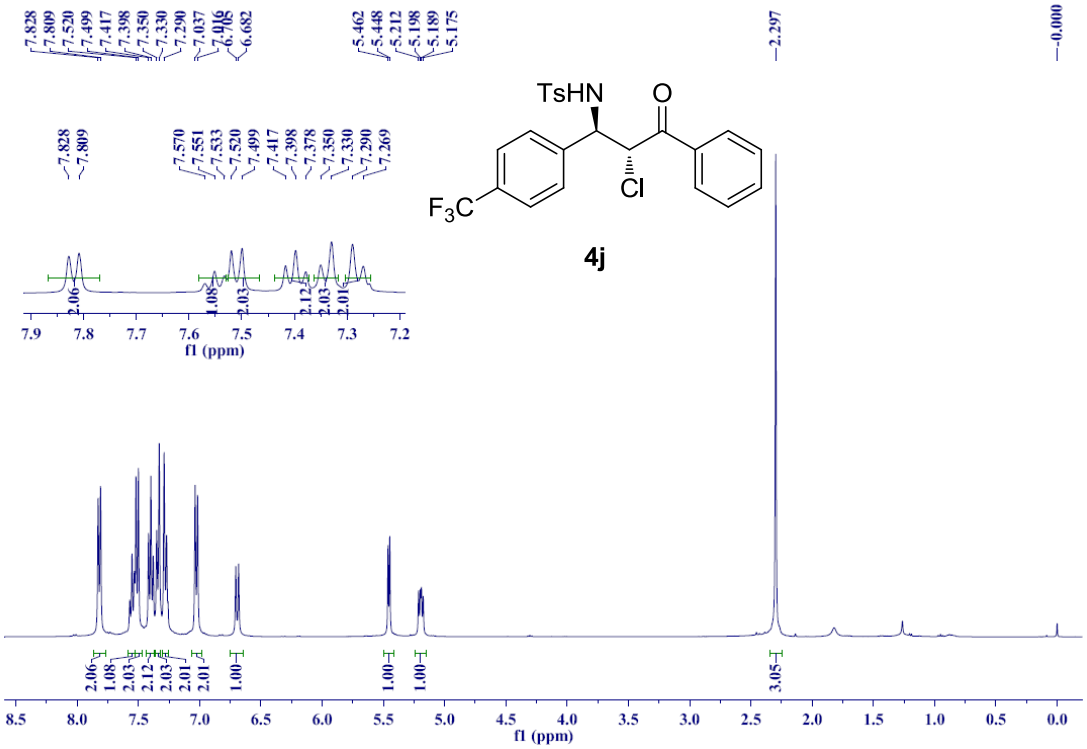
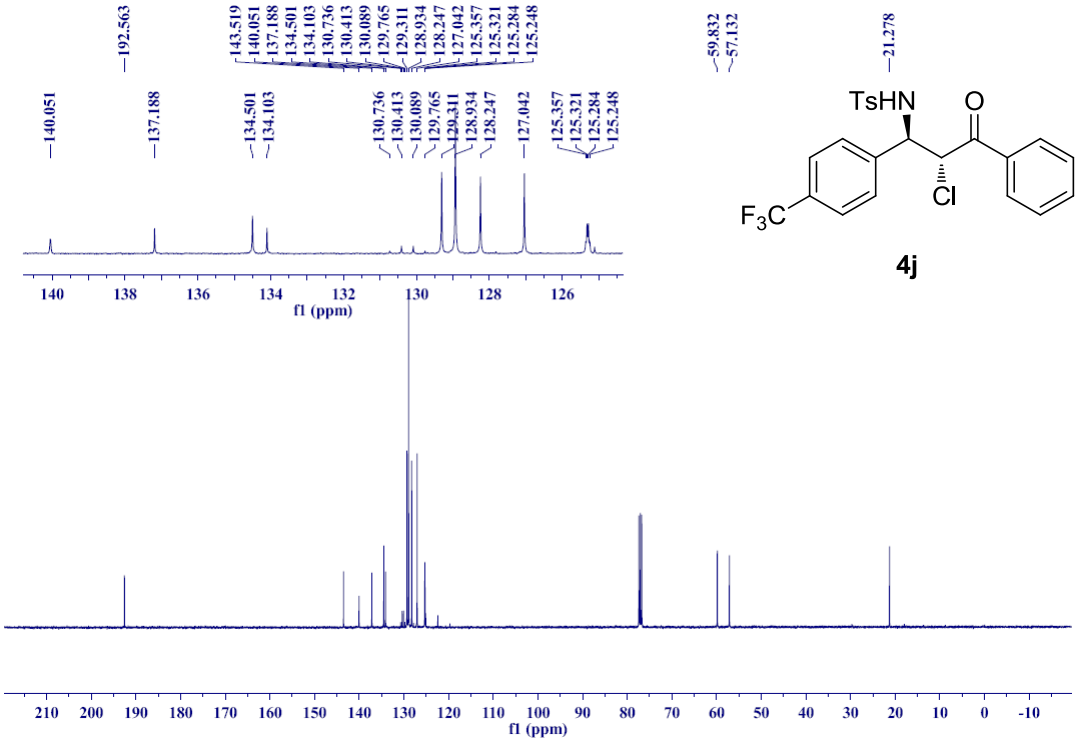
138.145
137.690
135.951
134.544
134.254
129.170
128.911
128.826
128.405
128.108
127.104
124.484
60.513
57.452
21.422
21.205
TsHN
O
Cl
Me
4h
fl (ppm)


2.319
0.000
TsHN
O
Cl
NO2
4i
fl (ppm)


TsHN
O
Cl
NO2
4i
f1 (ppm)


TsHN
O
Cl
F3C
4j
f1 (ppm)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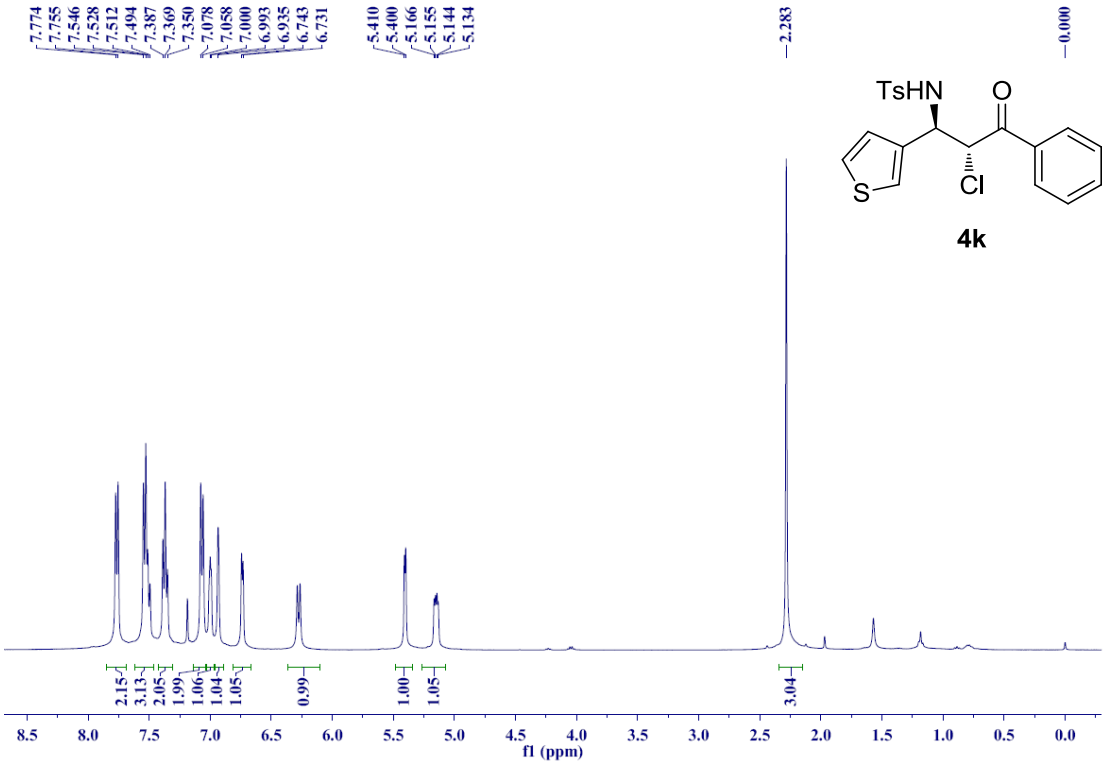
137.188
134.501
134.103
130.736
130.413
130.089
129.765
129.311
128.934
128.247
127.042
125.357
125.321
125.284
125.248
59.832
57.132
21.278
TsHN
O
Cl
F3C
4j
f1 (ppm)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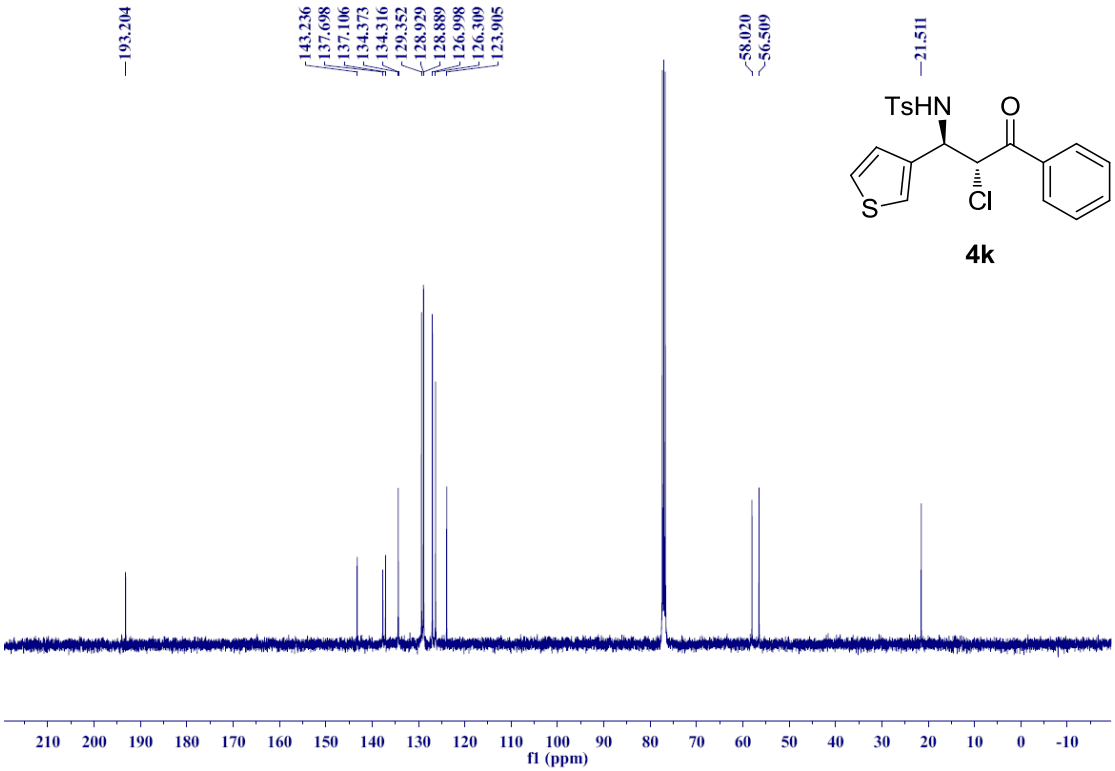
7.546
7.528
7.512
7.494
7.387
7.369
7.350
7.078
7.058
7.000
6.993
6.935
6.743
6.731
5.410
5.400
5.166
5.155
5.144
5.134
2.283
0.000
TsHN
O
Cl
S
4k
2.15
3.13
2.05
1.99
1.06
1.04
1.05
0.99
1.00
1.05
3.04
f1 (ppm)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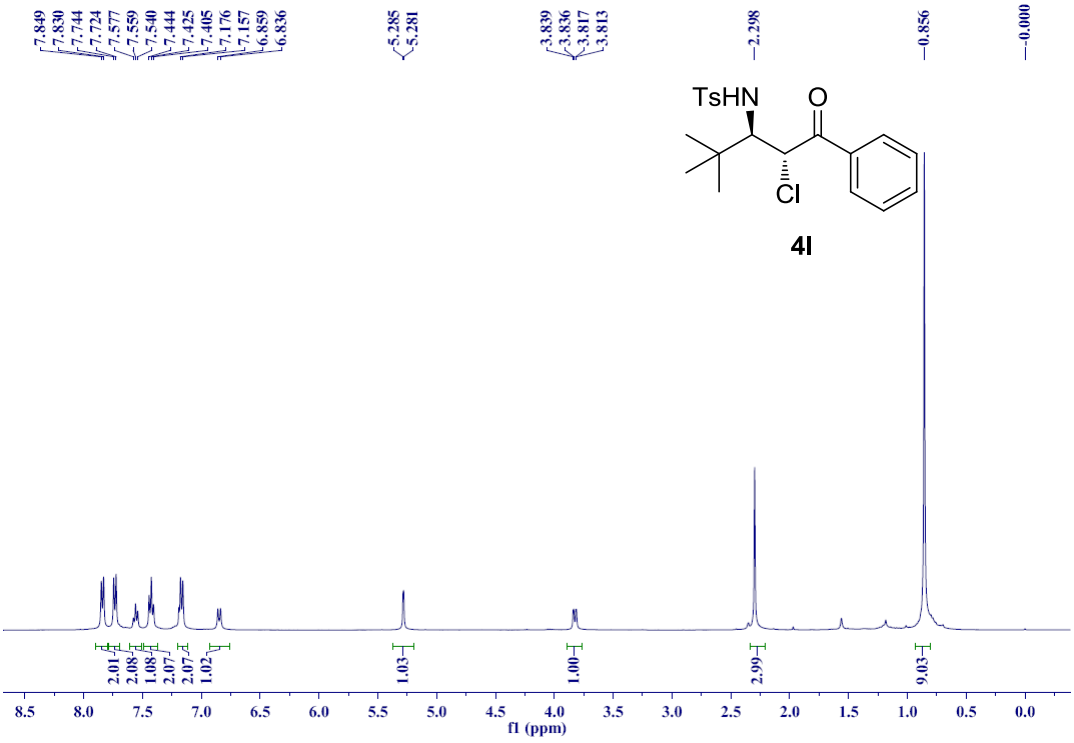
143.236
137.698
137.106
134.373
134.316
129.352
128.929
128.889
126.998
126.309
123.905
58.020
56.509
21.511
TsHN
O
Cl
S
4k
fl (ppm)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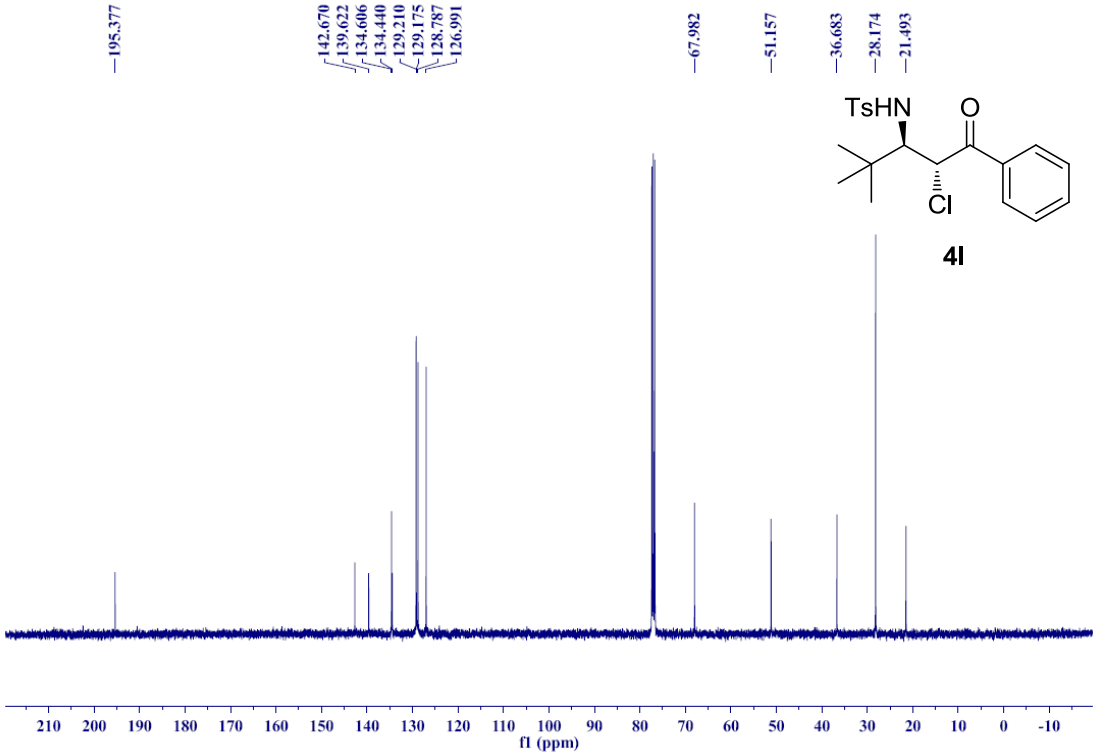
7.830
7.744
7.724
7.577
7.559
7.540
7.444
7.425
7.405
7.176
7.157
6.859
6.836
5.285
5.281
3.839
3.836
3.817
3.813
2.298
0.856
0.000
TsHN
O
Cl
4l
2.01
2.08
1.08
2.07
2.07
1.02
1.03
1.00
2.99
9.03
fl (ppm)


195.377
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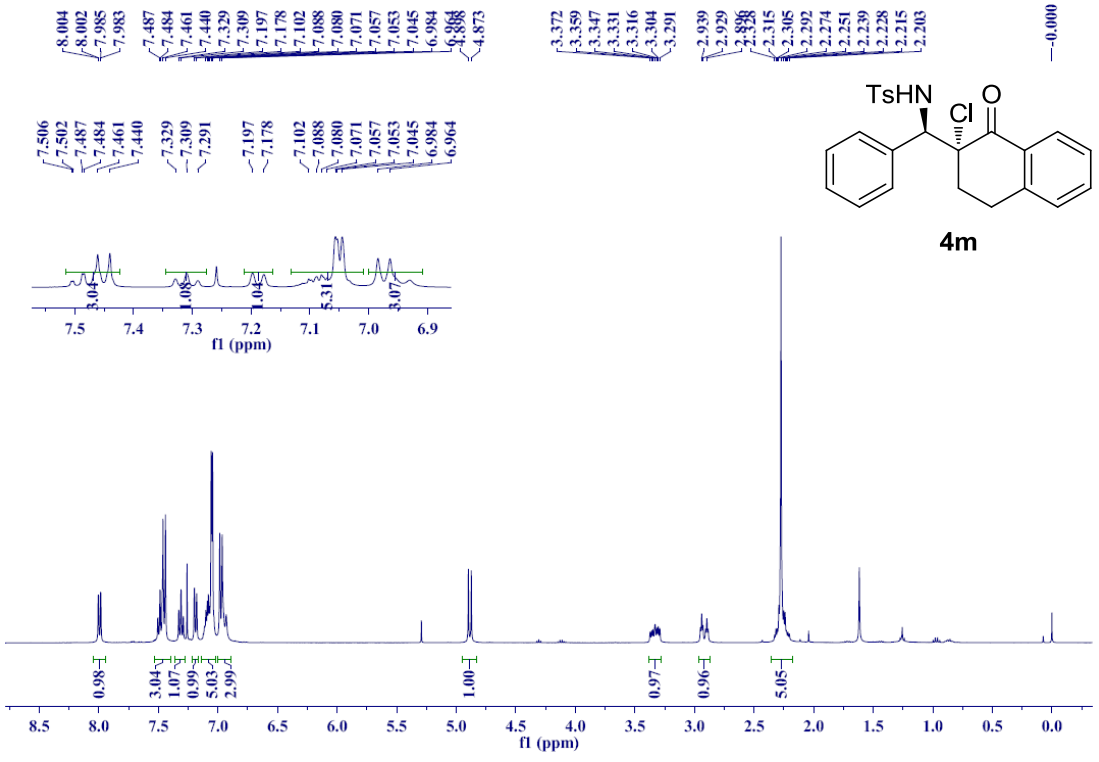
139.622
134.606
134.440
129.210
129.175
128.787
126.991
67.982
51.157
36.683
28.174
21.493
TsHN
O
Cl
4l
f1 (ppm)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8.002
7.985
7.983
7.487
7.484
7.461
7.440
7.329
7.309
7.197
7.178
7.102
7.088
7.080
7.071
7.057
7.053
7.045
6.984
6.964
4.873
3.372
3.359
3.347
3.331
3.316
3.304
3.291
2.939
2.929
2.315
2.305
2.292
2.274
2.251
2.239
2.228
2.215
2.203
-0.000
TsHN
O
Cl
4m
f1 (ppm)
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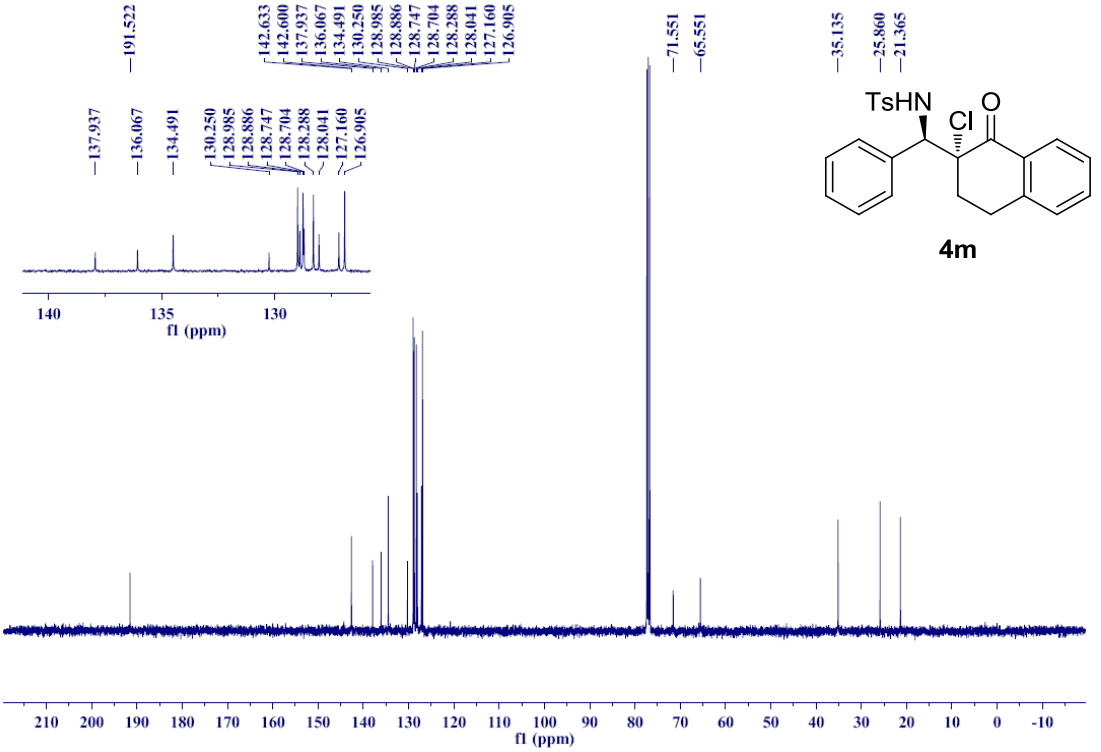
3.04
1.07
0.99
5.03
2.99
1.00
0.97
0.96
5.05
f1 (ppm)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142.600
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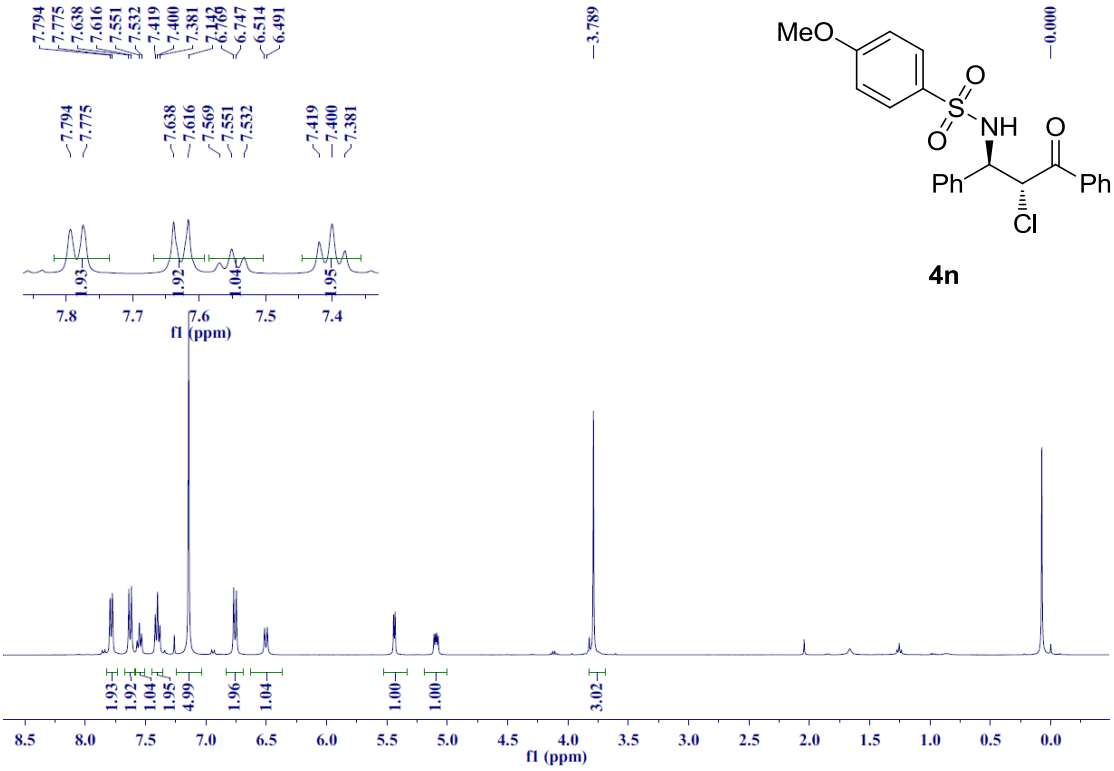
136.067
134.491
130.250
128.985
128.886
128.747
128.704
128.288
128.041
127.160
126.905
71.551
65.551
35.135
25.860
21.365
TsHN
Cl
O
4m
f1 (ppm)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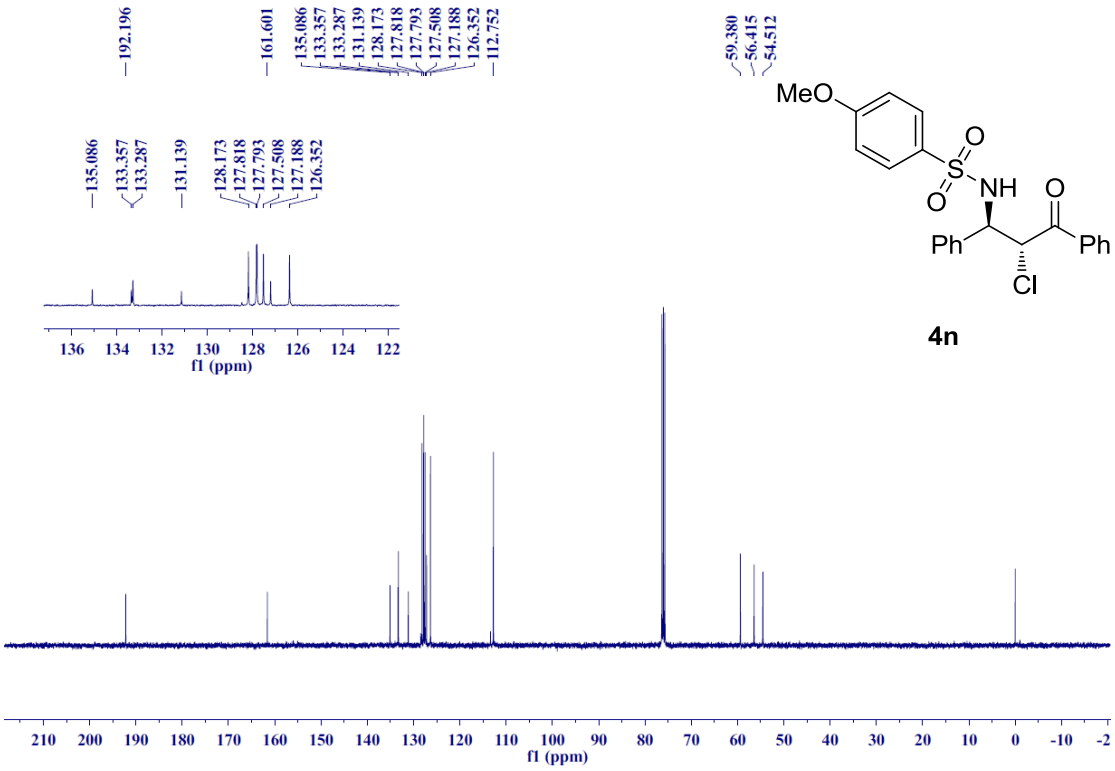
7.638
7.616
7.551
7.532
7.419
7.400
7.381
6.747
6.514
6.491
3.789
0.000
MeO
O
S
NH
Ph
Cl
4n
f1 (ppm)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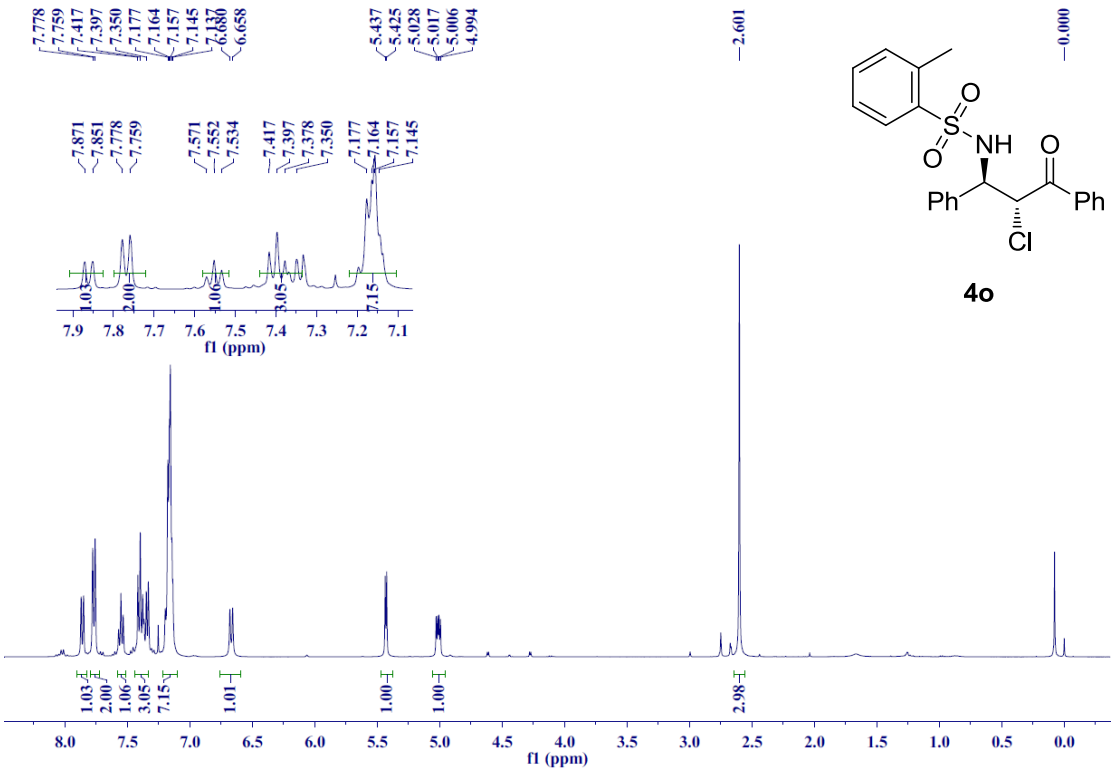
133.287
131.139
128.173
127.818
127.793
127.508
127.188
126.352
112.752
59.380
56.415
54.512
MeO
O
S
O
NH
O
Ph
Ph
Cl
4n
f1 (ppm)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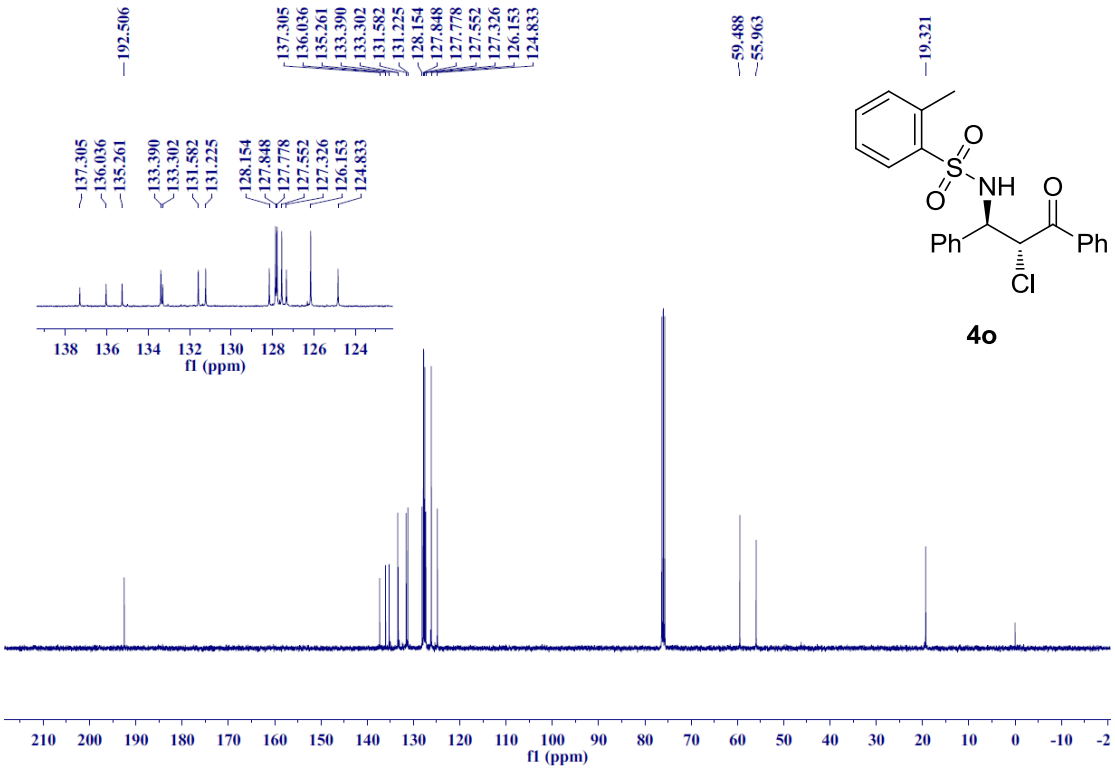
7.759
7.417
7.397
7.350
7.177
7.164
7.157
7.145
6.658
5.437
5.425
5.028
5.017
5.006
4.994
2.601
0.000
1.03
2.00
1.06
3.05
7.15
1.01
1.00
1.00
2.98
O
S
O
NH
O
Ph
Ph
Cl
4o
f1 (ppm)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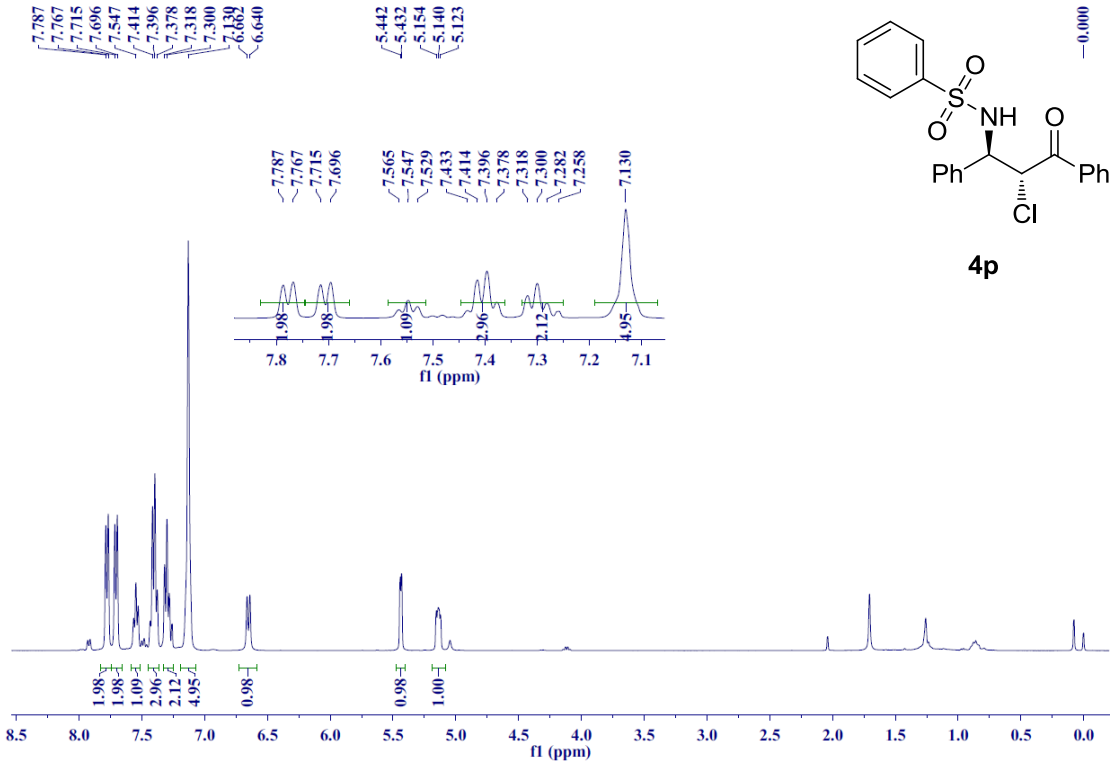
136.036
135.261
133.390
133.302
131.582
131.225
128.154
127.848
127.778
127.552
127.326
126.153
124.833
59.488
55.963
19.321
O
S
O
NH
O
Ph
Ph
Cl
4o
fl (ppm)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7.715
7.696
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7.547
7.529
7.433
7.414
7.396
7.378
7.318
7.300
7.282
7.258
7.130
6.682
6.640
5.442
5.432
5.154
5.140
5.123
0.000
O
S
O
NH
O
Ph
Ph
Cl
4p
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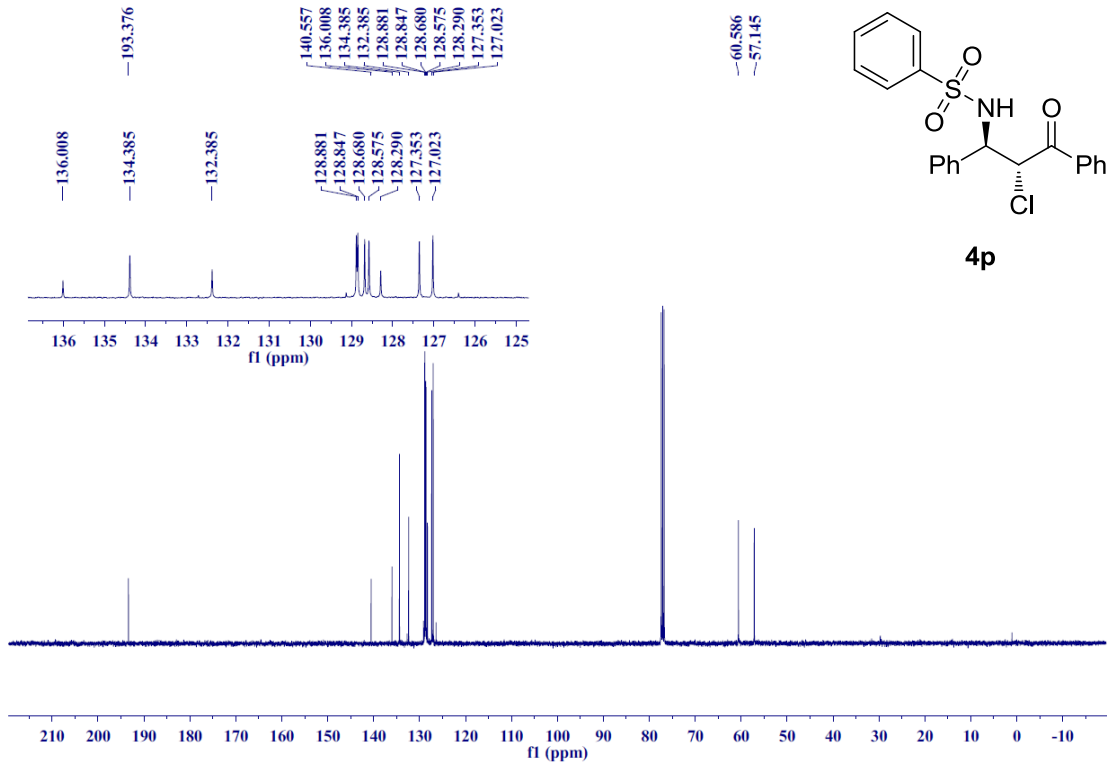
1.98
1.09
2.96
2.12
4.95
0.98
0.98
1.00
fl (ppm)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136.008
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132.385
128.881
128.847
128.680
128.575
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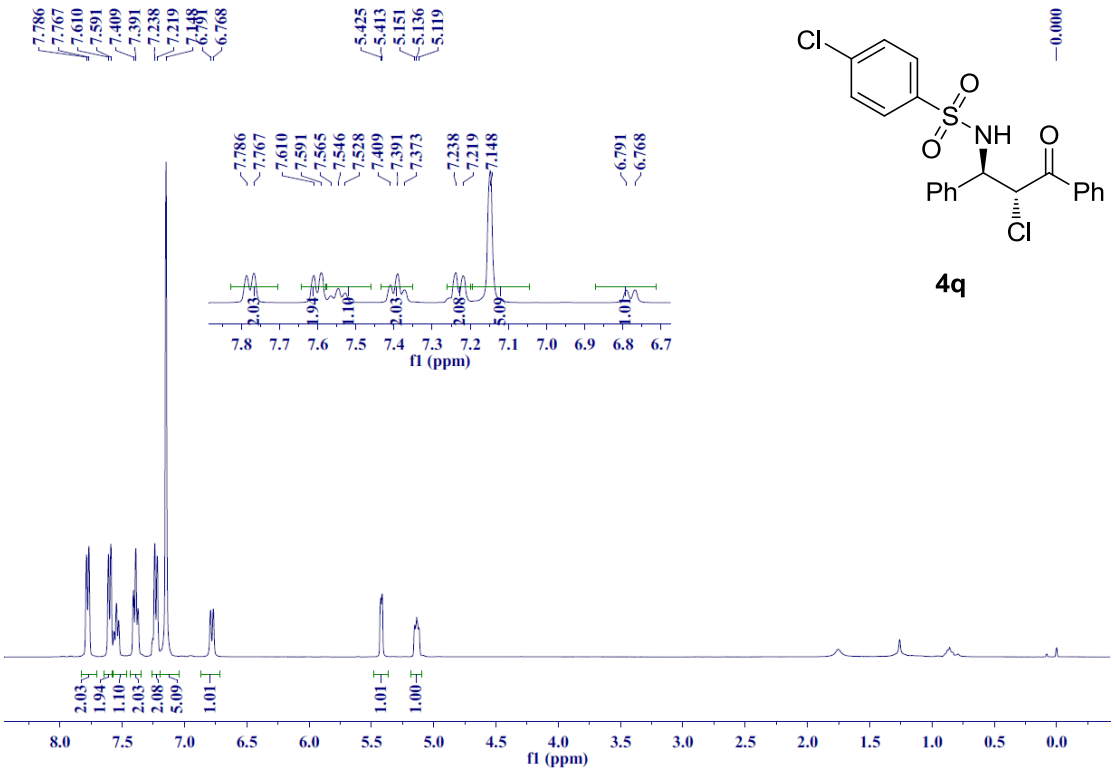
127.023
60.586
57.145
O
S
O
NH
O
Ph
Ph
Cl
4p
fl (ppm)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7.767
7.610
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7.409
7.391
7.238
7.219
7.148
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6.768
5.425
5.413
5.151
5.136
5.119
0.000
Cl
O
S
O
NH
O
Ph
Ph
Cl
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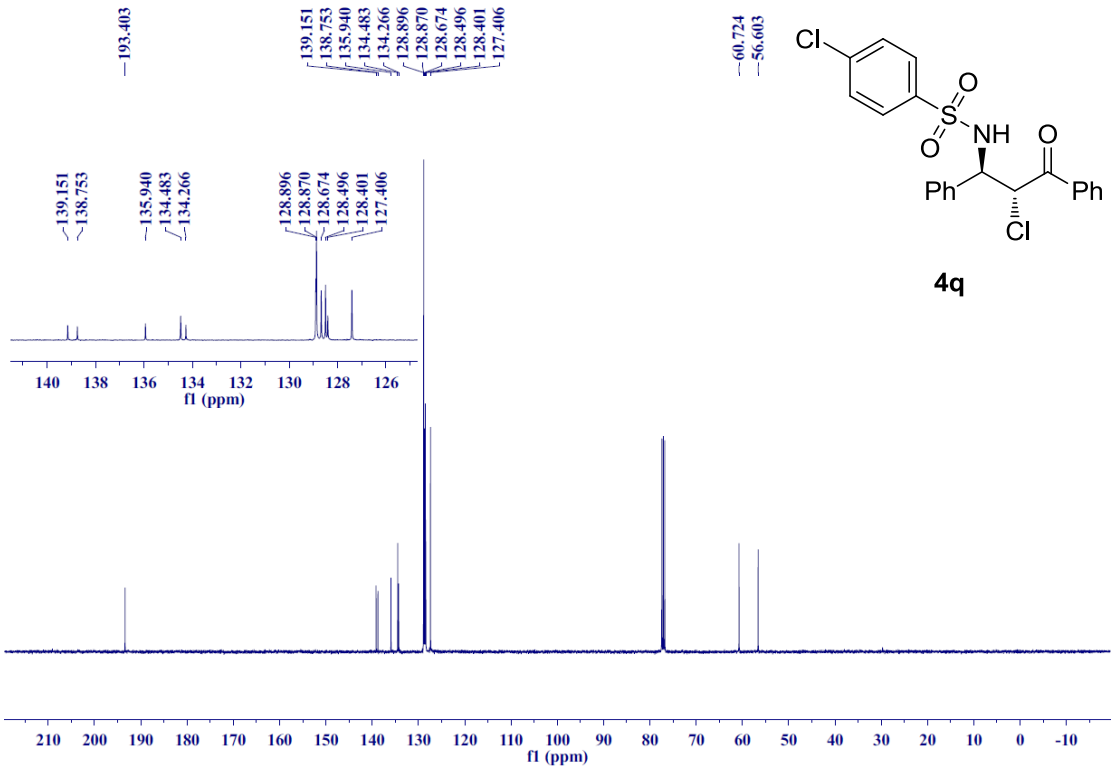
1.94
1.10
2.03
2.08
5.09
1.01
1.01
1.00
fl (ppm)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138.753
135.940
134.483
134.266
128.896
128.870
128.674
128.496
128.401
127.406
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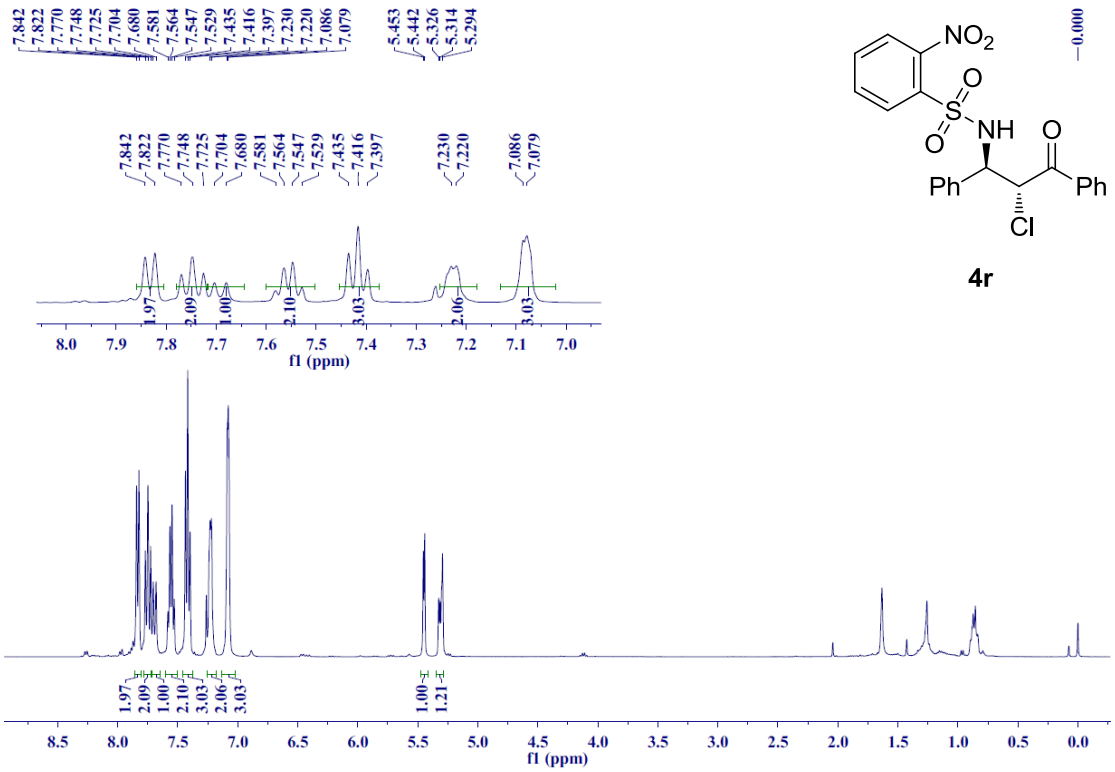
56.603
Cl
O
S
O
NH
O
Ph
Ph
Cl
4q
f1 (ppm)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7.770
7.748
7.725
7.704
7.680
7.581
7.564
7.547
7.529
7.435
7.416
7.397
7.230
7.220
7.086
7.079
5.453
5.442
5.326
5.314
5.294
0.000
NO2
O
S
O
NH
O
Ph
Ph
Cl
4r
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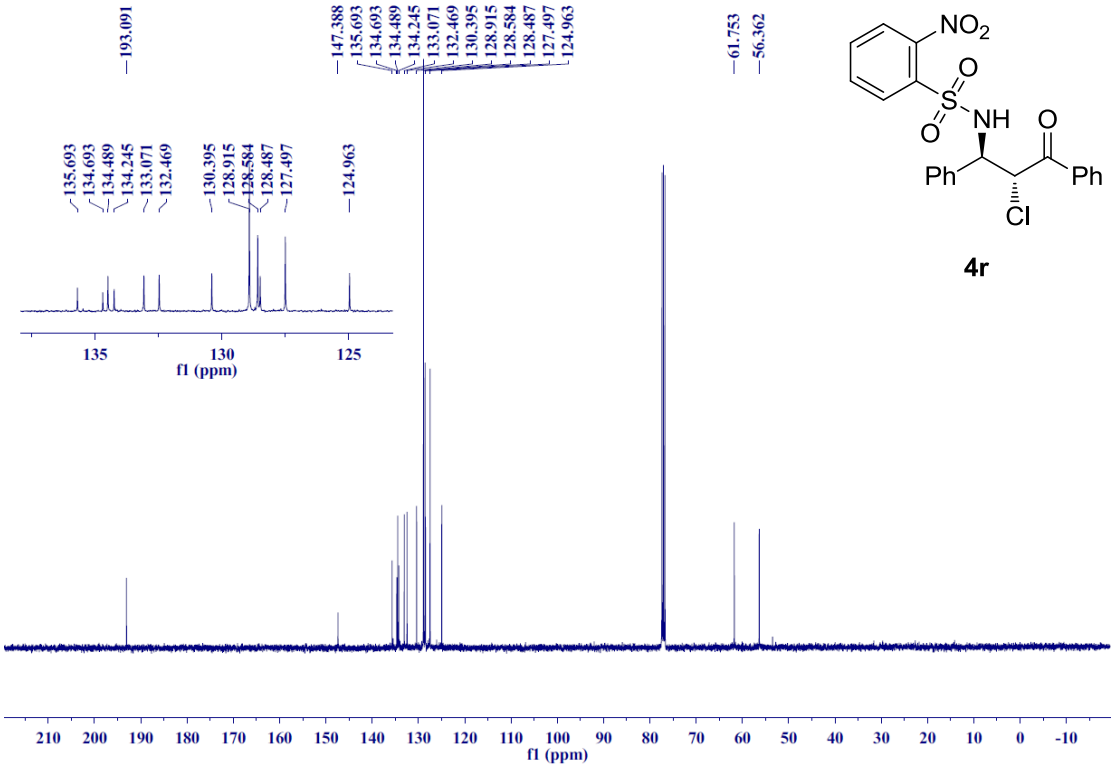
2.09
1.00
2.10
3.03
2.06
3.03
1.00
1.21
f1 (ppm)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132.469
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128.584
128.487
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124.963
61.753
56.362
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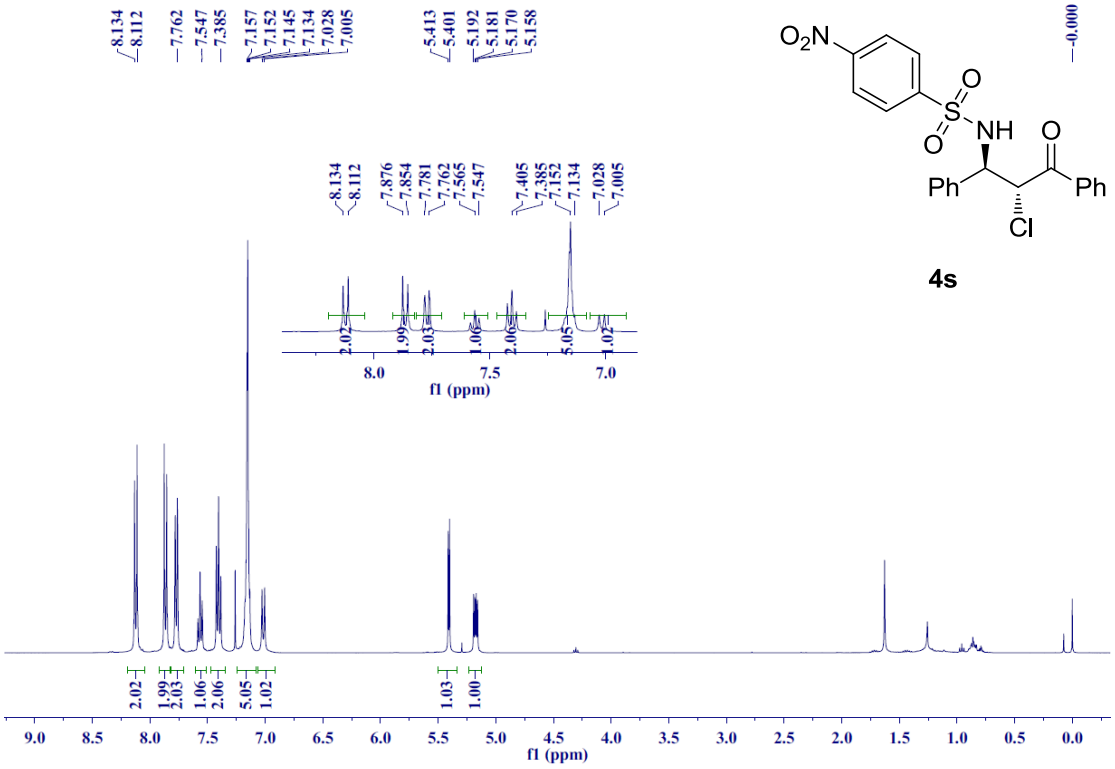
O
S
O
NH
O
Ph
Ph
Cl
4r
f1 (ppm)


8.134
8.112
7.762
7.547
7.385
7.157
7.152
7.145
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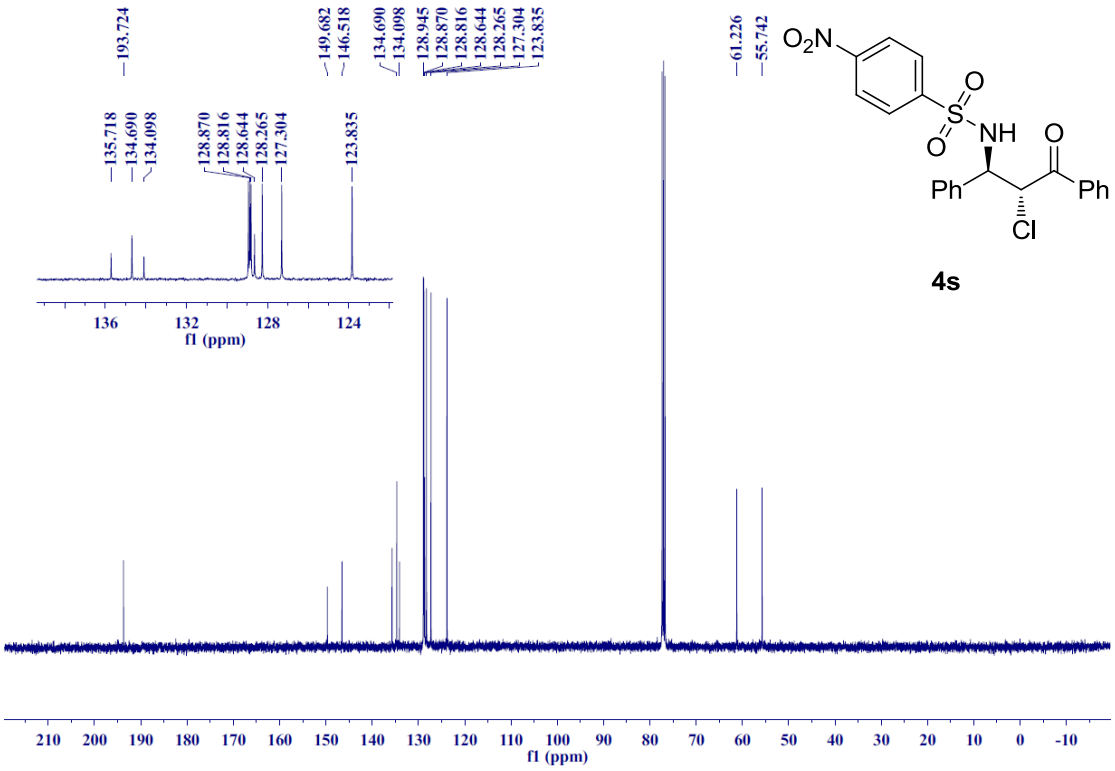
7.028
7.005
5.413
5.401
5.192
5.181
5.170
5.158
-0.000
O2N
O
S
O
NH
O
Ph
Ph
Cl
4s
2.02
1.99
2.03
1.06
2.06
5.05
1.02
1.03
1.00
f1 (ppm)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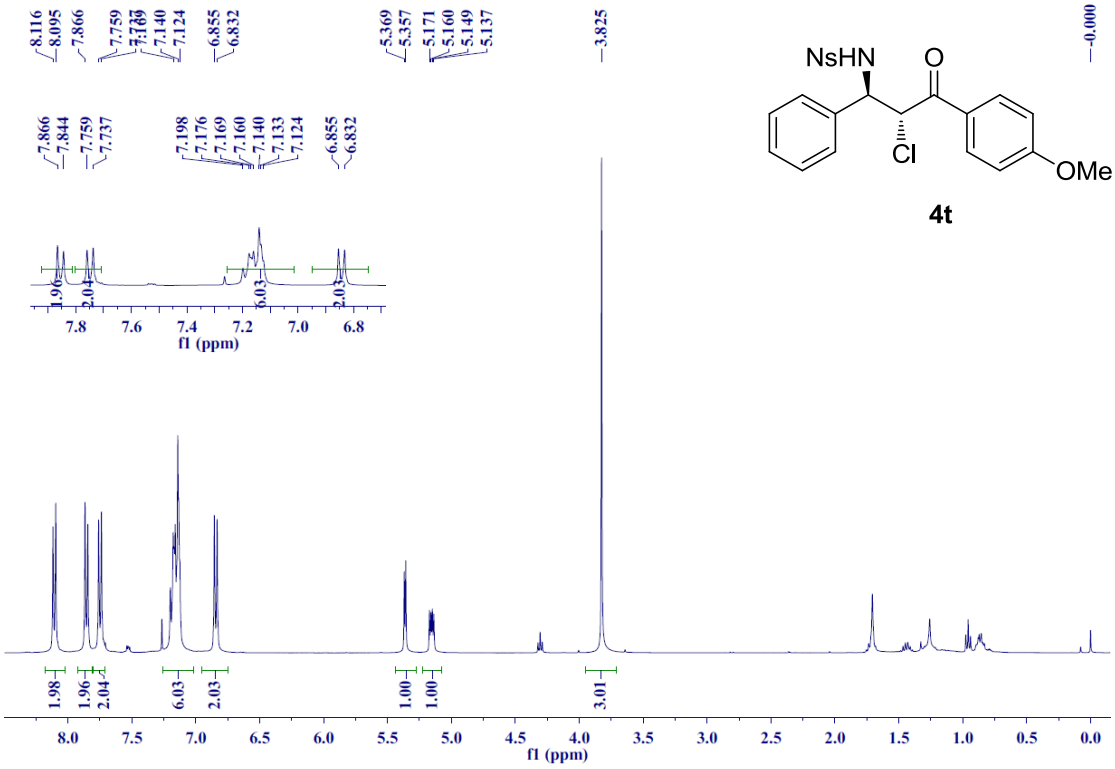
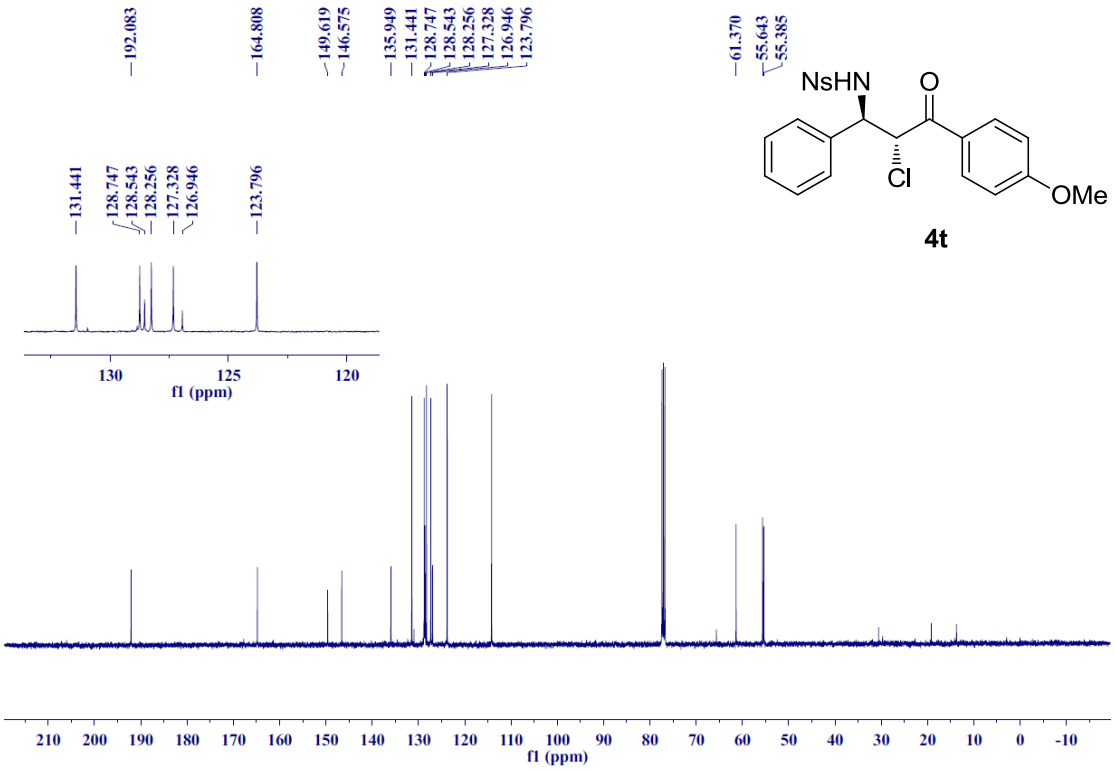
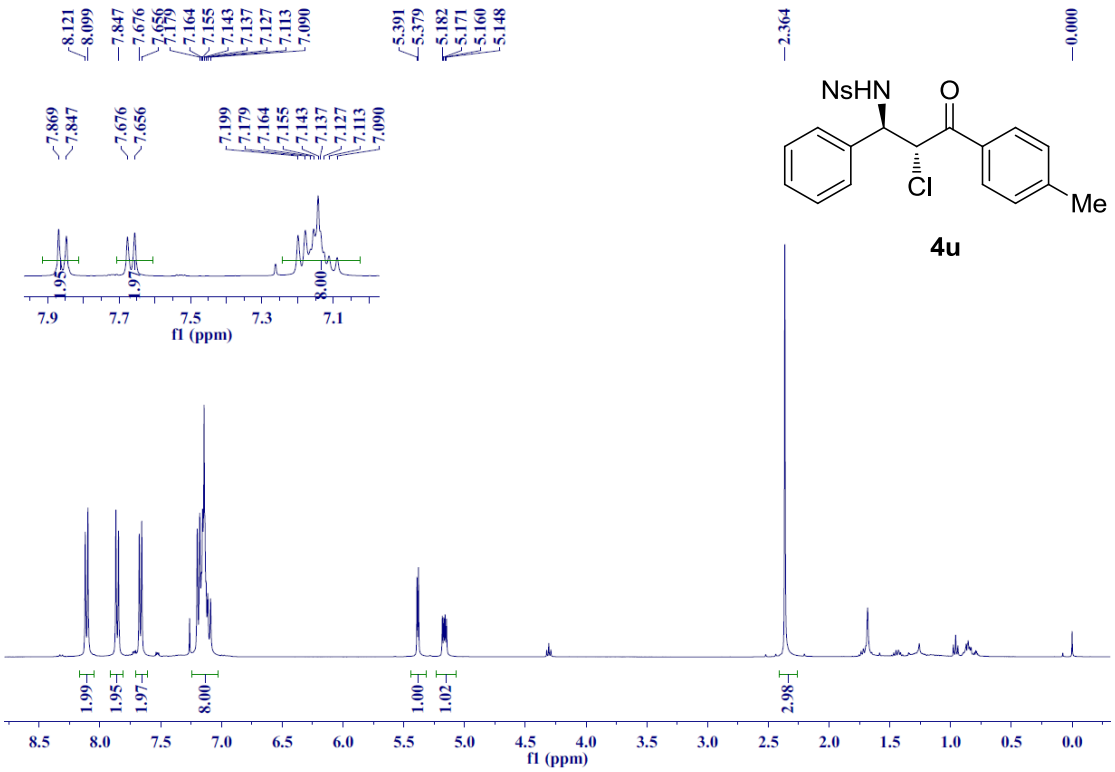
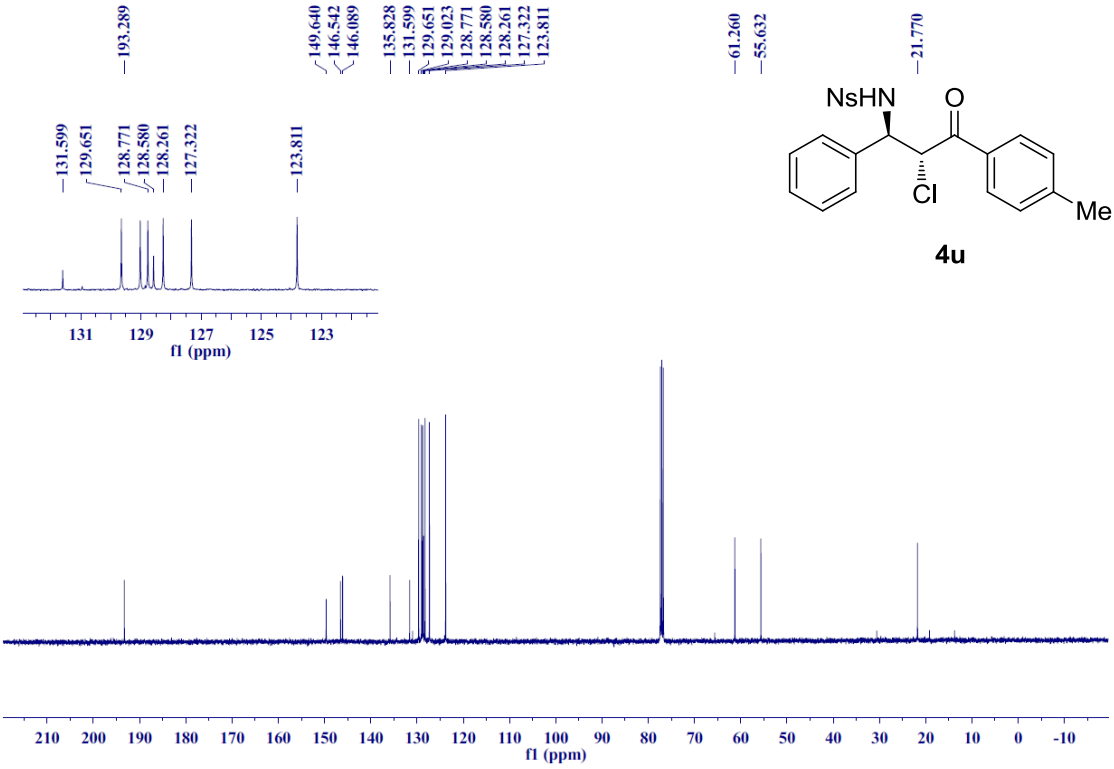
61.226
55.742
135.718
134.690
134.098
128.870
128.816
128.644
128.265
127.304
123.835
136
132
128
124
f1 (ppm)
O2N
O
S
O
NH
O
Ph
Ph
Cl
4s
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)


8.116
8.095
7.866
7.759
7.737
7.198
7.176
7.169
7.160
7.140
7.133
7.124
6.855
6.832
5.369
5.357
5.171
5.160
5.149
5.137
3.825
-0.000
7.866
7.844
7.759
7.737
7.198
7.176
7.169
7.160
7.140
7.133
7.124
6.855
6.832
1.96
2.04
6.03
2.03
7.8
7.6
7.4
7.2
7.0
6.8
f1 (ppm)
NsHN
O
Cl
OMe
4t
1.98
1.96
2.04
6.03
2.03
1.00
1.00
3.01
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)


192.083
164.808
149.619
146.575
135.949
131.441
128.747
128.543
128.256
127.328
126.946
123.796
61.370
55.643
55.385
NsHN
O
Cl
OMe
4t
f1 (ppm)


8.121
8.099
7.847
7.676
7.656
7.179
7.164
7.155
7.143
7.137
7.127
7.113
7.090
5.391
5.379
5.182
5.171
5.160
5.148
2.364
0.000
NsHN
O
Cl
Me
4u
1.99
1.95
1.97
8.00
1.00
1.02
2.98
f1 (ppm)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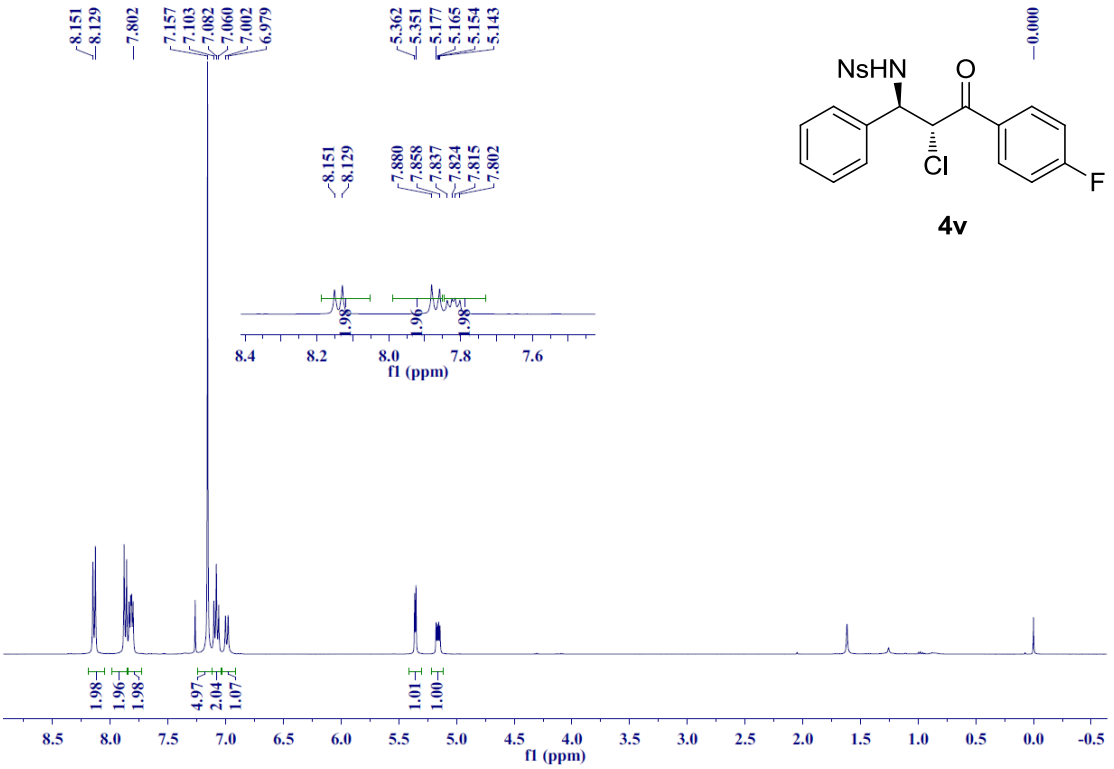
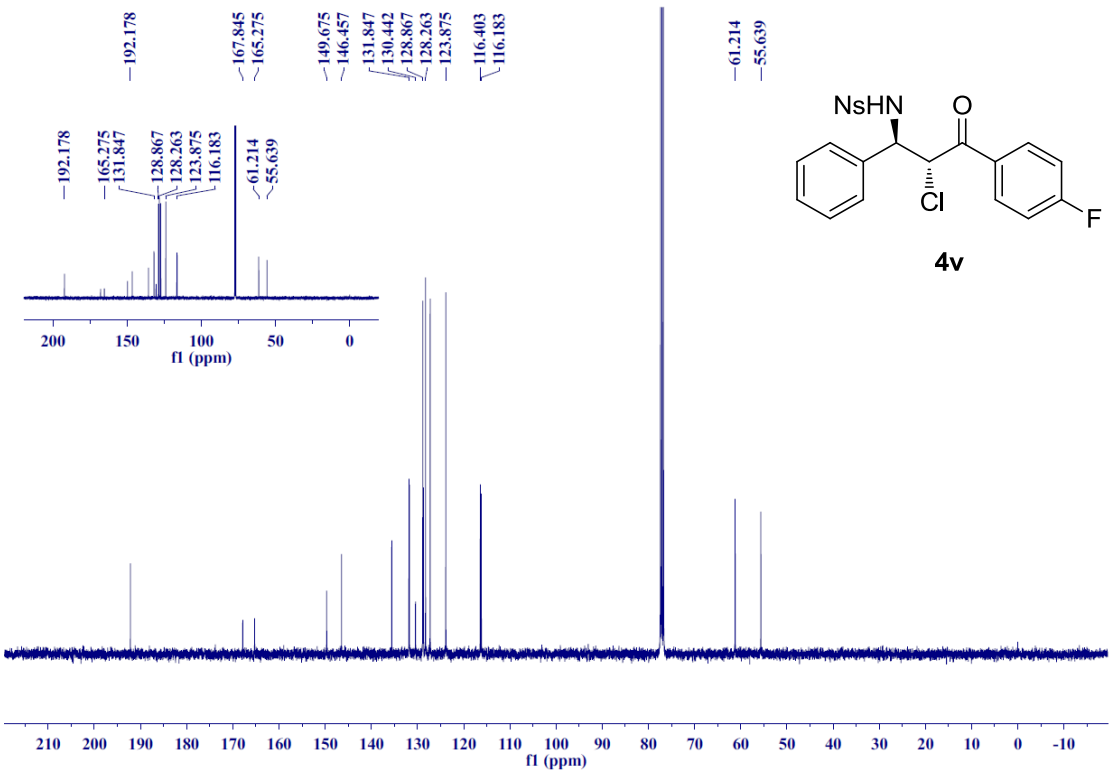
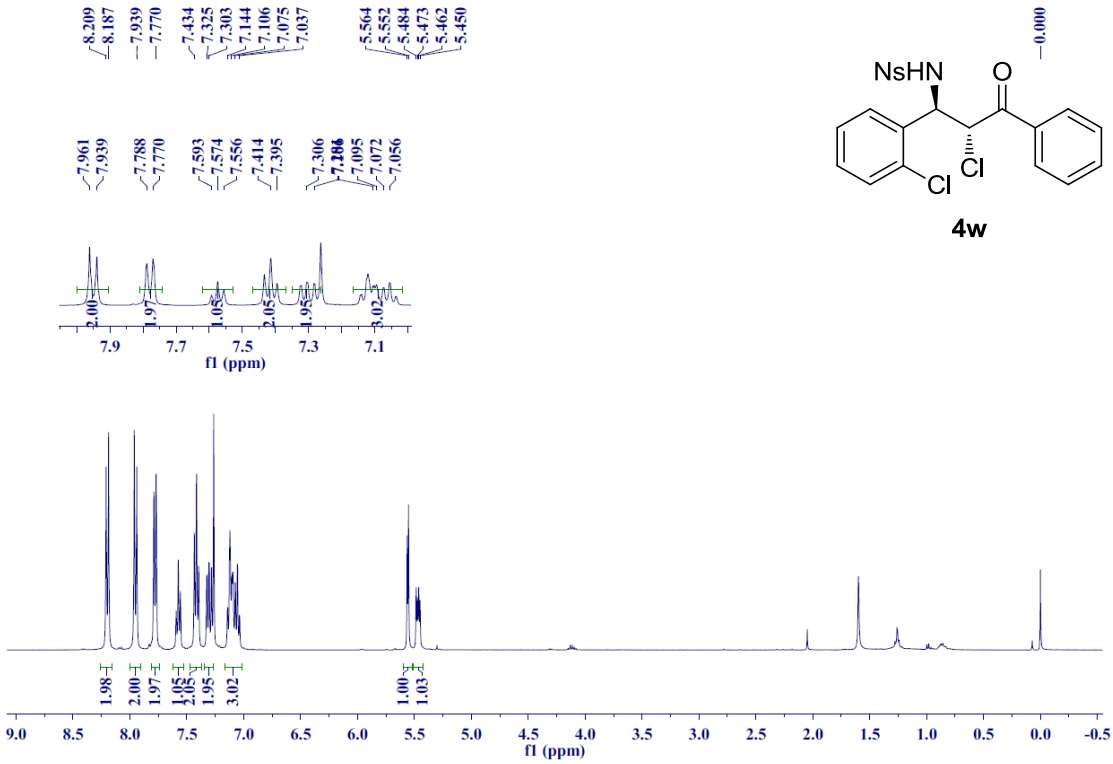
149.640
146.542
146.089
135.828
131.599
129.651
129.023
128.771
128.580
128.261
127.322
123.811
61.260
55.632
21.770
NsHN
O
Cl
Me
4u
f1 (ppm)

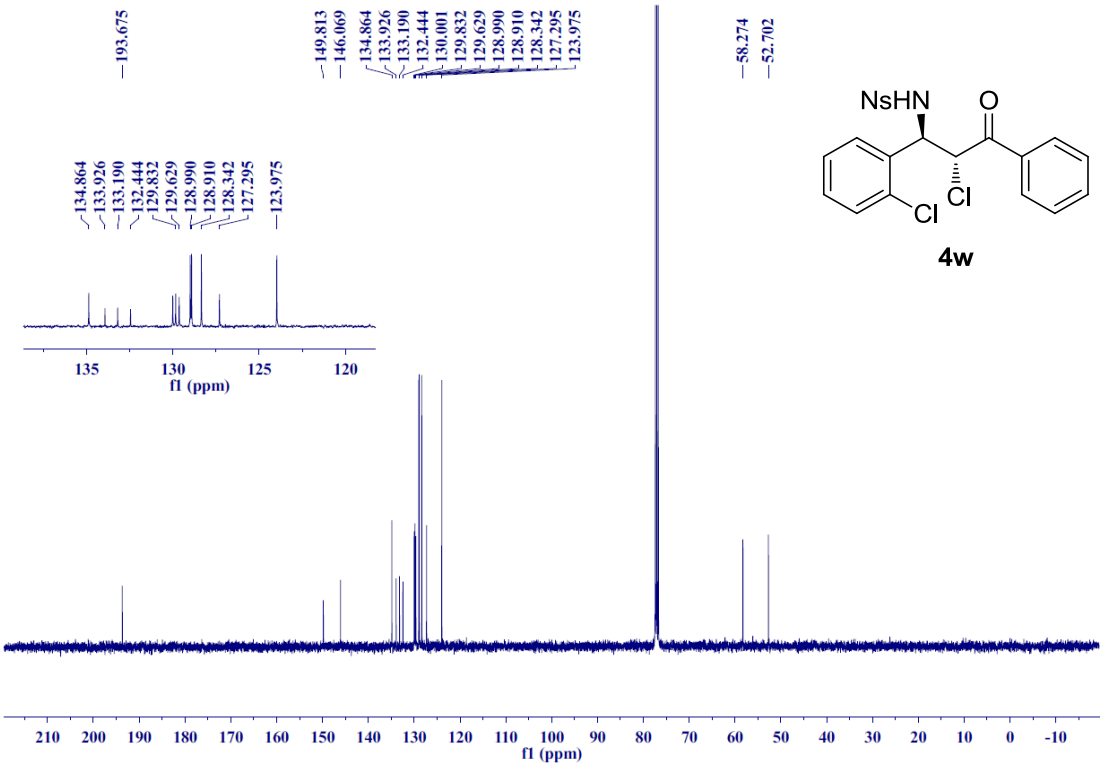

8.151
8.129
7.802
7.157
7.103
7.082
7.060
7.002
6.979
5.362
5.351
5.177
5.165
5.154
5.143
0.000
NsHN
O
Cl
F
4v
7.880
7.858
7.837
7.824
7.815
7.802
1.98
1.96
1.98
4.97
2.04
1.07
1.01
1.00
f1 (ppm)

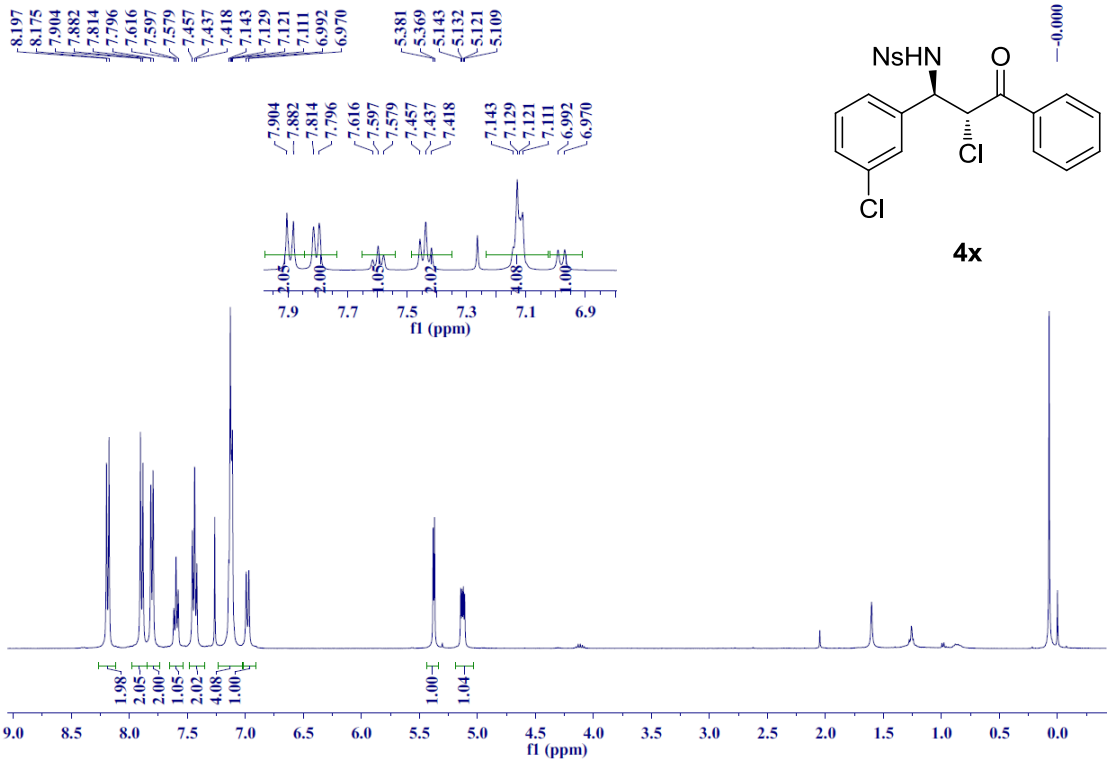

192.178
167.845
165.275
149.675
146.457
131.847
130.442
128.867
128.263
123.875
116.403
116.183
61.214
55.639
NsHN
O
Cl
F
f1 (ppm)

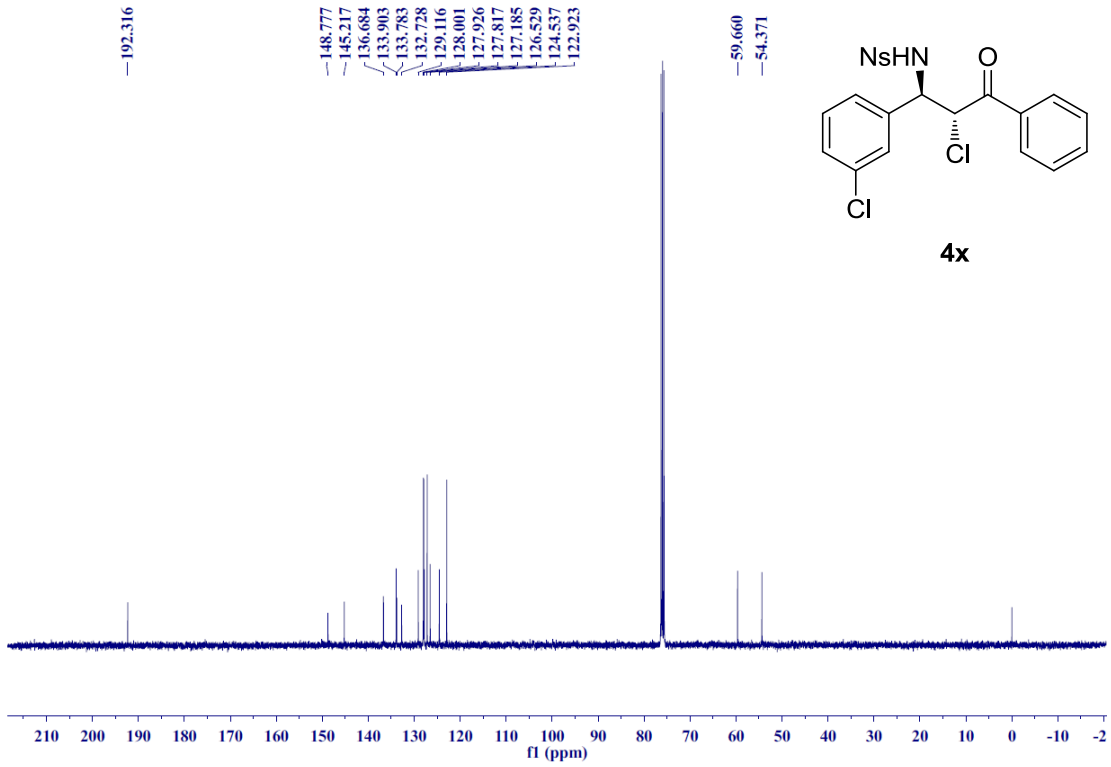
4v


8.209
8.187
7.939
7.770
7.434
7.325
7.303
7.144
7.106
7.075
7.037
5.564
5.552
5.484
5.473
5.462
5.450
0.000
NsHN
O
Cl
Cl
f1 (ppm)

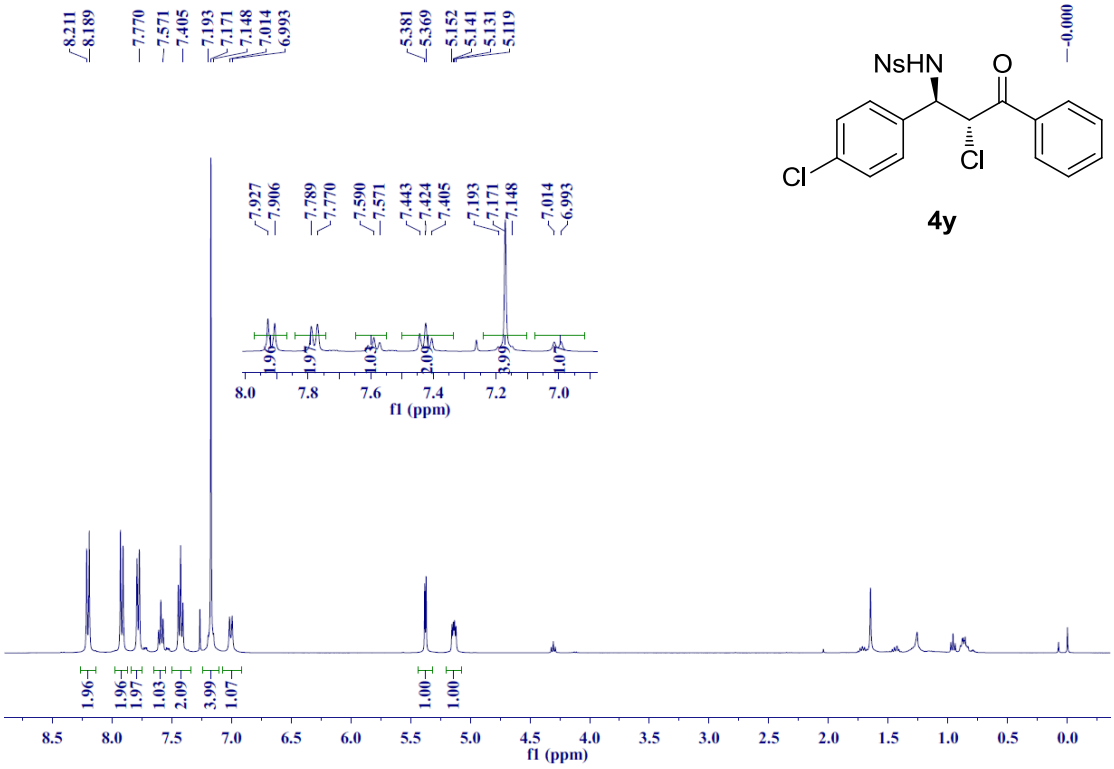
4w


193.675
149.813
146.069
134.864
133.926
133.190
132.444
130.001
129.832
129.629
128.990
128.910
128.342
127.295
123.975
58.274
52.702
NsHN
O
Cl
Cl
4w
f1 (ppm)

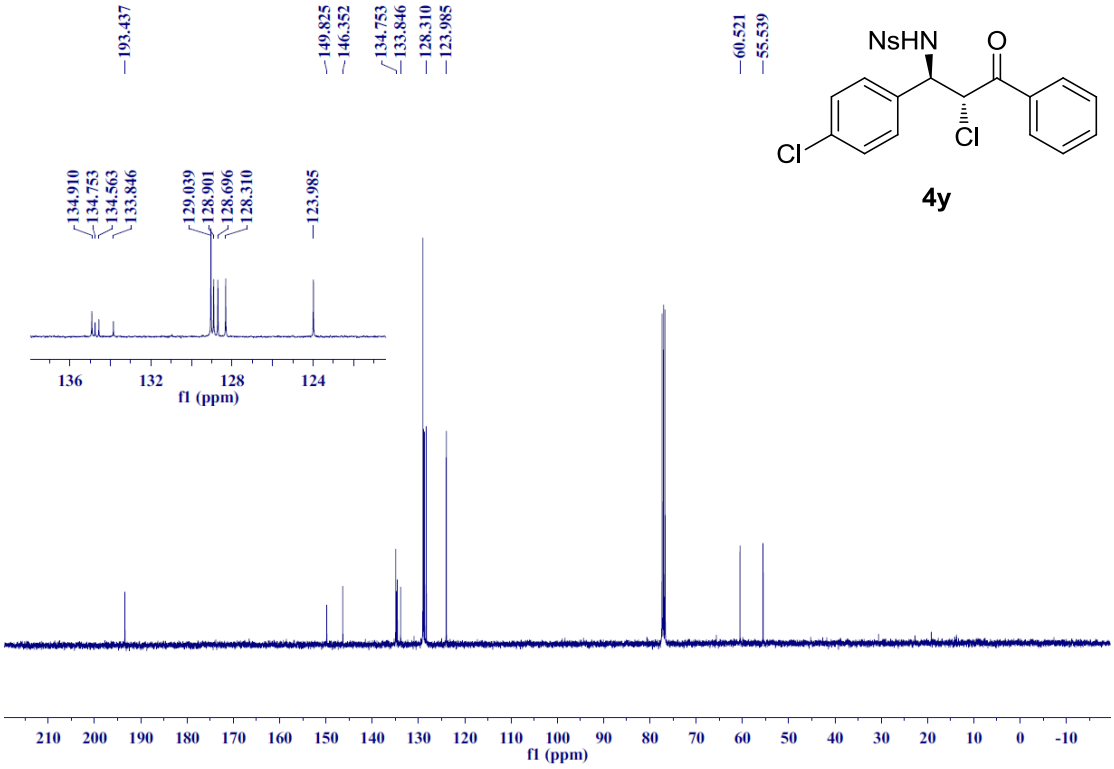

8.197
8.175
7.904
7.882
7.814
7.796
7.616
7.597
7.579
7.457
7.437
7.418
7.143
7.129
7.121
7.111
6.992
6.970
5.381
5.369
5.143
5.132
5.121
5.109
-0.000
NsHN
O
Cl
Cl
4x
1.98
2.05
2.00
1.05
2.02
4.08
1.00
1.00
1.04
f1 (ppm)

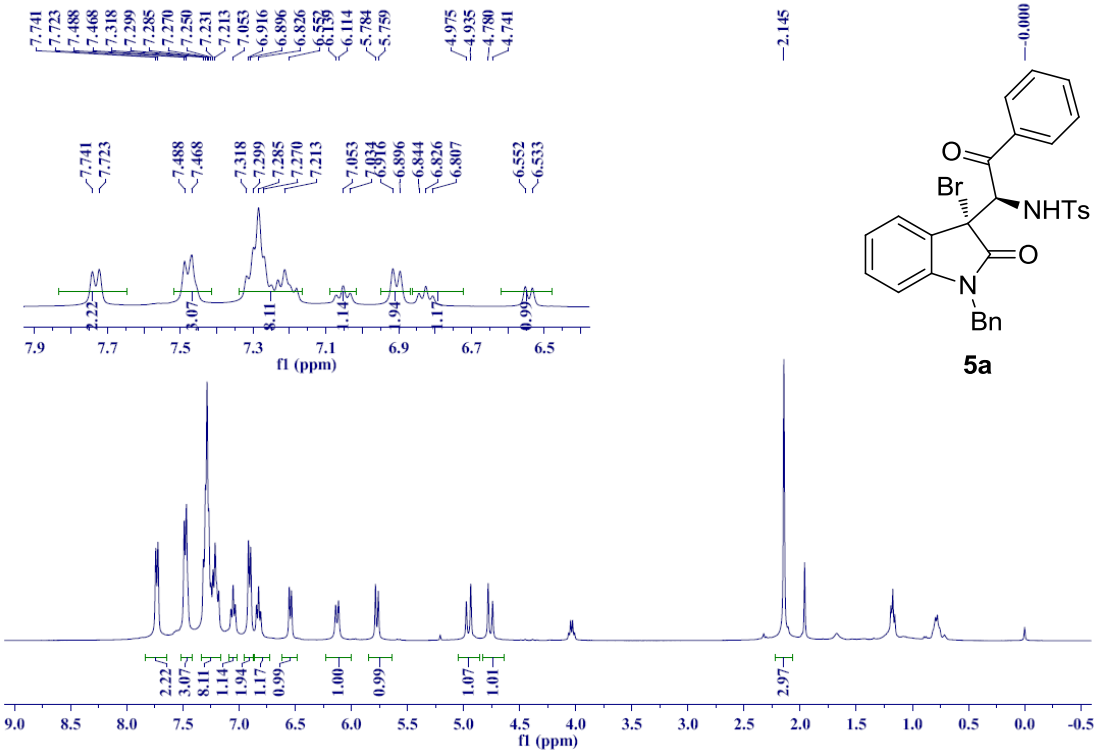

192.316
148.777
145.217
136.684
133.903
133.783
132.728
129.116
128.001
127.926
127.817
127.185
126.529
124.537
122.923
59.660
54.371
NsHN
O
Cl
Cl
f1 (ppm)

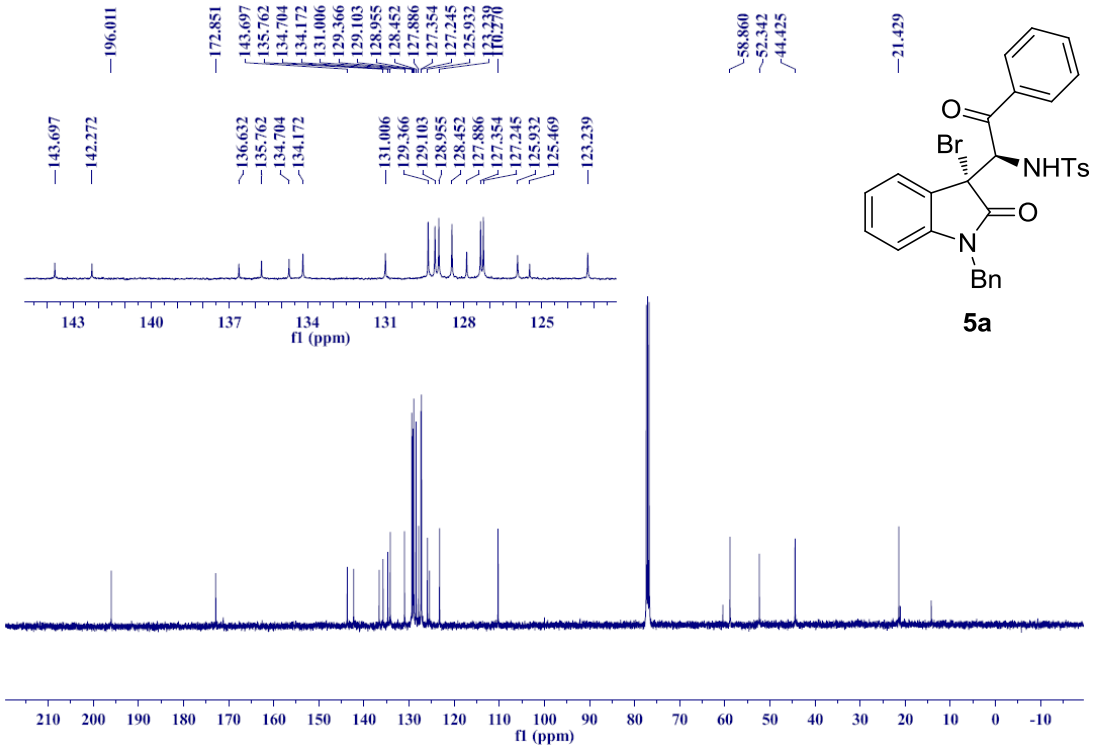
4x

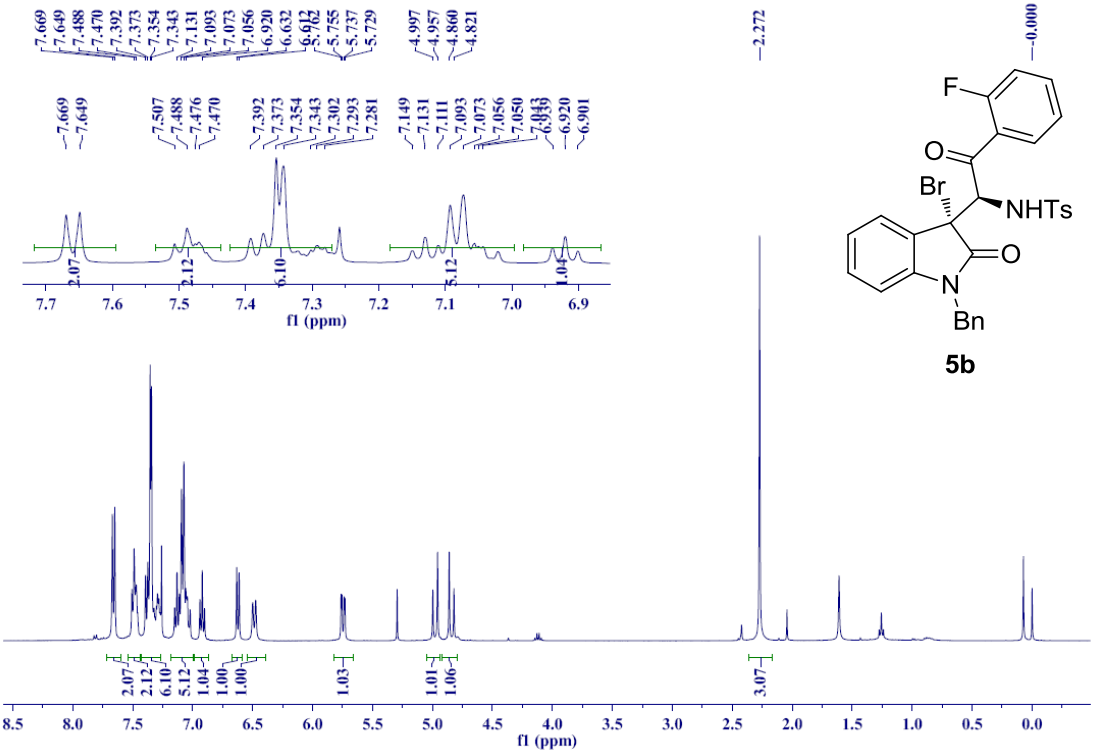

8.211
8.189
7.770
7.571
7.405
7.193
7.171
7.148
7.014
6.993
5.381
5.369
5.152
5.141
5.131
5.119
-0.000
NsHN
O
Cl
Cl
7.927
7.906
7.789
7.770
7.590
7.571
7.443
7.424
7.405
7.193
7.171
7.148
7.014
6.993
1.96
1.97
1.03
2.09
3.99
1.07
1.00
1.00
f1 (ppm)

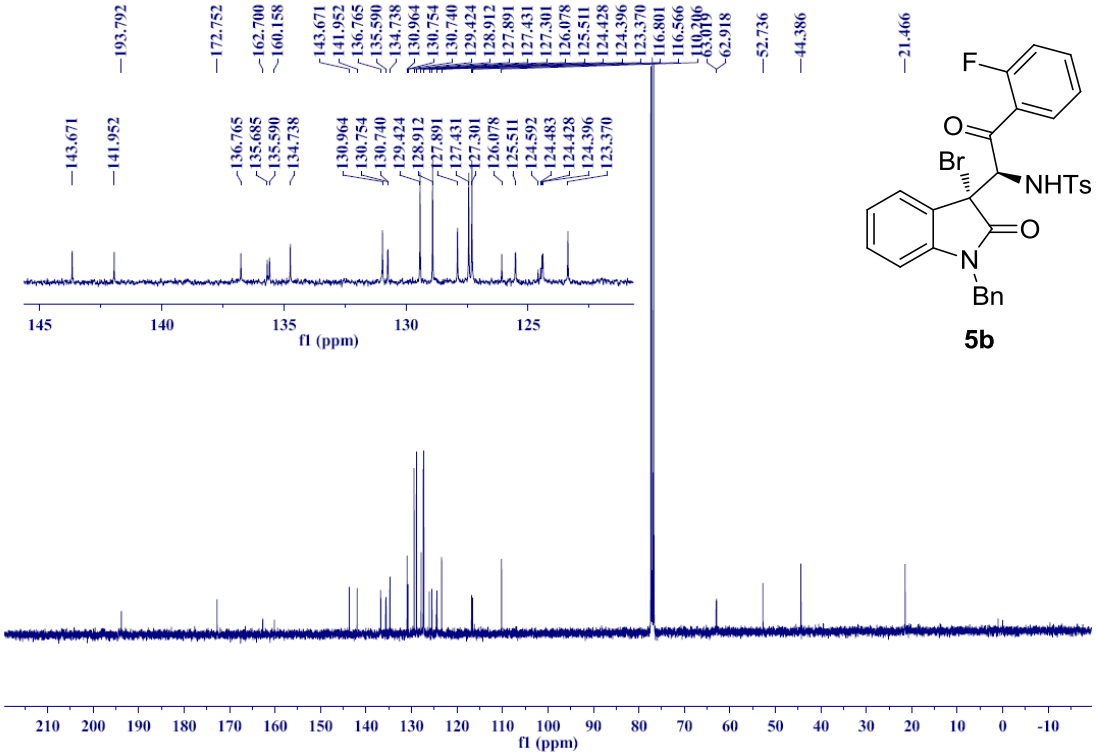
4y

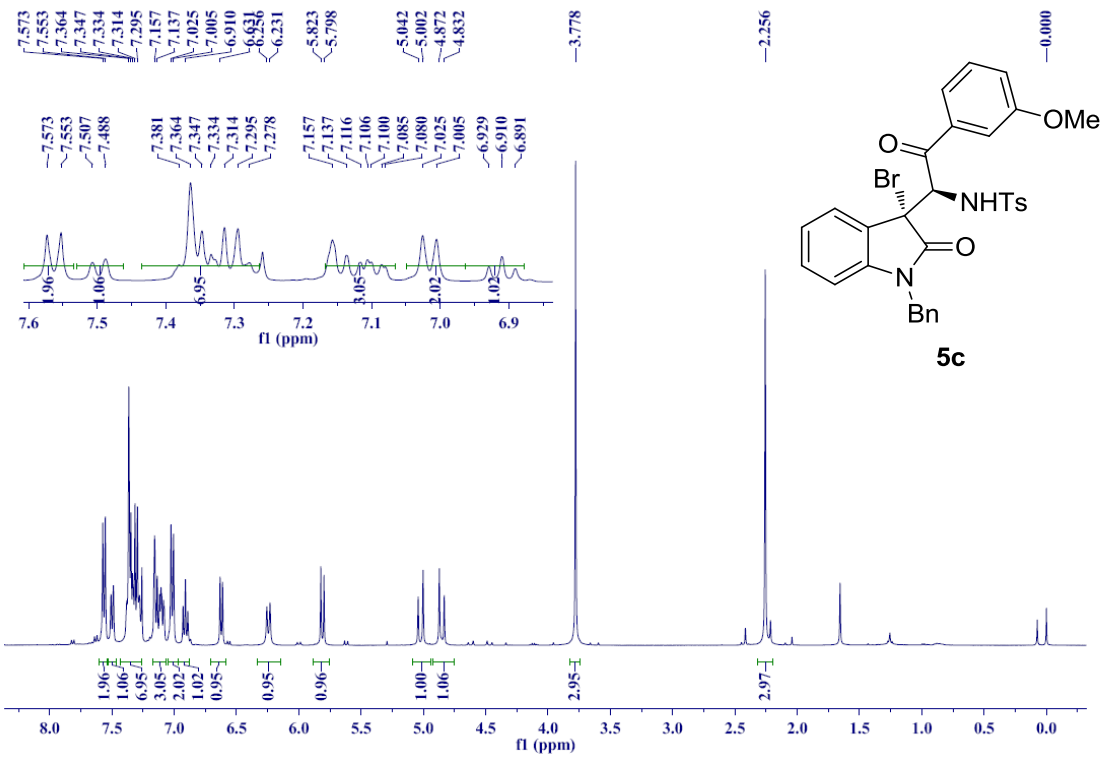

193.437
149.825
146.352
134.753
133.846
128.310
123.985
60.521
55.539
NsHN
O
Cl
Cl
4y
134.910
134.753
134.563
133.846
129.039
128.901
128.696
128.310
123.985
136
132
128
124
f1 (ppm)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

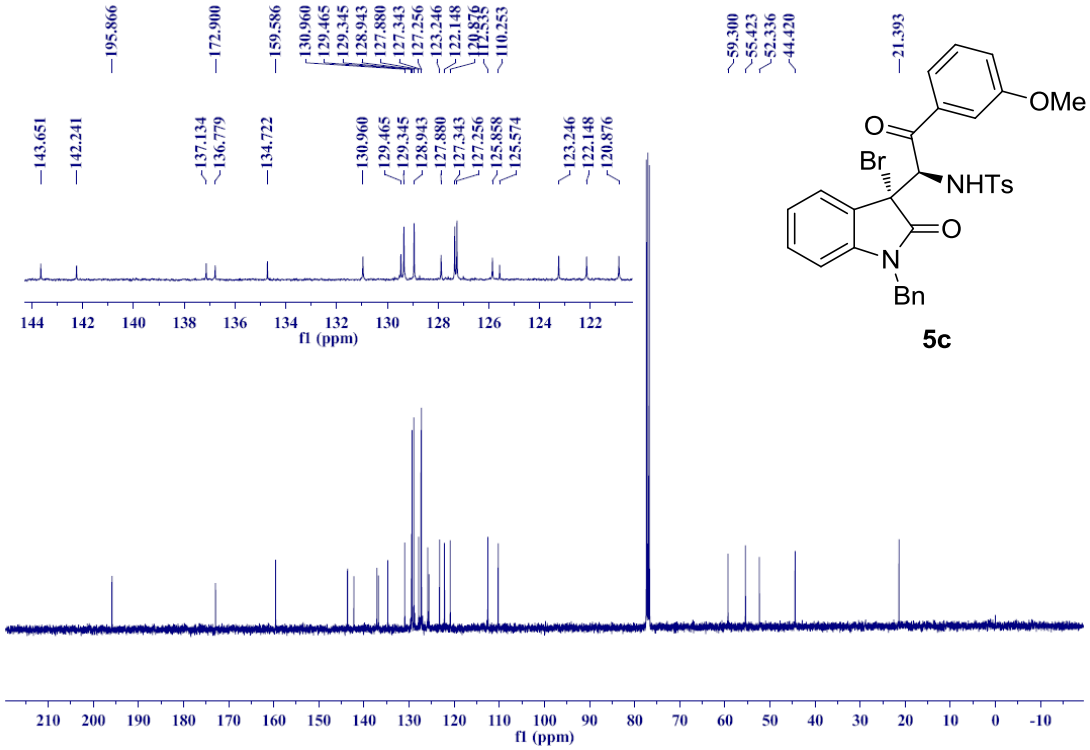

7.741
7.723
7.488
7.468
7.318
7.299
7.285
7.270
7.250
7.231
7.213
7.053
6.916
6.896
6.826
6.139
6.114
5.784
5.759
4.975
4.935
4.780
4.741
2.145
0.000
O
Br
NHTs
O
N
Bn
5a
7.741
7.723
7.488
7.468
7.318
7.299
7.285
7.270
7.213
7.053
7.034
6.916
6.896
6.844
6.826
6.807
6.552
6.533
2.22
3.07
8.11
1.14
1.94
1.17
0.99
7.9 7.7 7.5 7.3 7.1 6.9 6.7 6.5
f1 (ppm)
2.22
3.07
8.11
1.14
1.94
1.17
0.99
1.00
0.99
1.07
1.01
2.97
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 -0.5
f1 (ppm)


196.011
172.851
143.697
135.762
134.704
134.172
131.006
129.366
129.103
128.955
128.452
127.886
127.354
127.245
125.932
123.239
110.270
58.860
52.342
44.425
21.429
143.697
142.272
136.632
135.762
134.704
134.172
131.006
129.366
129.103
128.955
128.452
127.886
127.354
127.245
125.932
125.469
123.239
f1 (ppm)
O
Br
NHTs
O
N
Bn
5a


7.669
7.649
7.488
7.470
7.392
7.373
7.354
7.343
7.131
7.093
7.073
7.056
6.920
6.632
5.762
5.755
5.737
5.729
4.997
4.957
4.860
4.821
2.272
0.000
7.669
7.649
7.507
7.488
7.476
7.470
7.392
7.373
7.354
7.343
7.302
7.293
7.281
7.149
7.131
7.111
7.093
7.073
7.056
7.050
6.920
6.901
2.07
2.12
6.10
5.12
1.04
f1 (ppm)
F
O
Br
NHTs
O
N
Bn
5b


F
O
Br
NHTs
O
N
Bn
5b
f1 (ppm)


OMe
O
Br
NHTs
O
N
Bn
5c
f1 (ppm)


195.866
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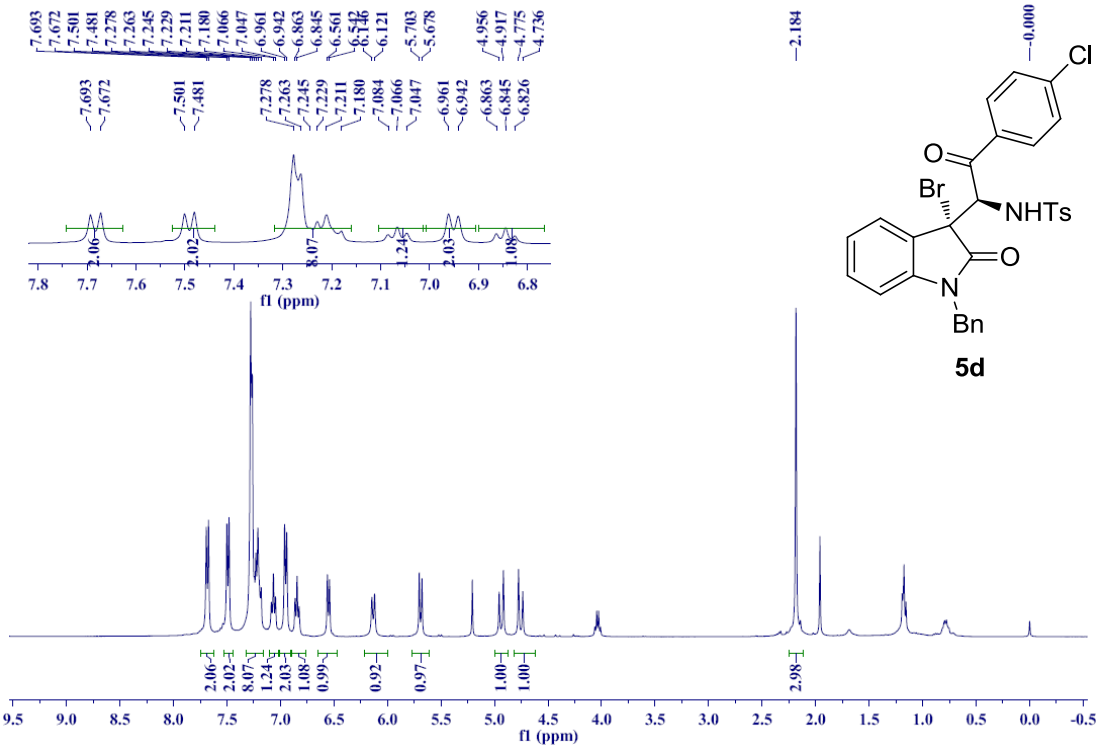
159.586
130.960
129.465
129.345
128.943
127.880
127.343
127.256
123.246
122.148
120.876
110.253
59.300
55.423
52.336
44.420
21.393
143.651
142.241
137.134
136.779
134.722
130.960
129.465
129.345
128.943
127.880
127.343
127.256
125.858
125.574
123.246
122.148
120.876
OMe
O
Br
NHTs
O
N
Bn
5c
f1 (ppm)
f1 (ppm)


7.693
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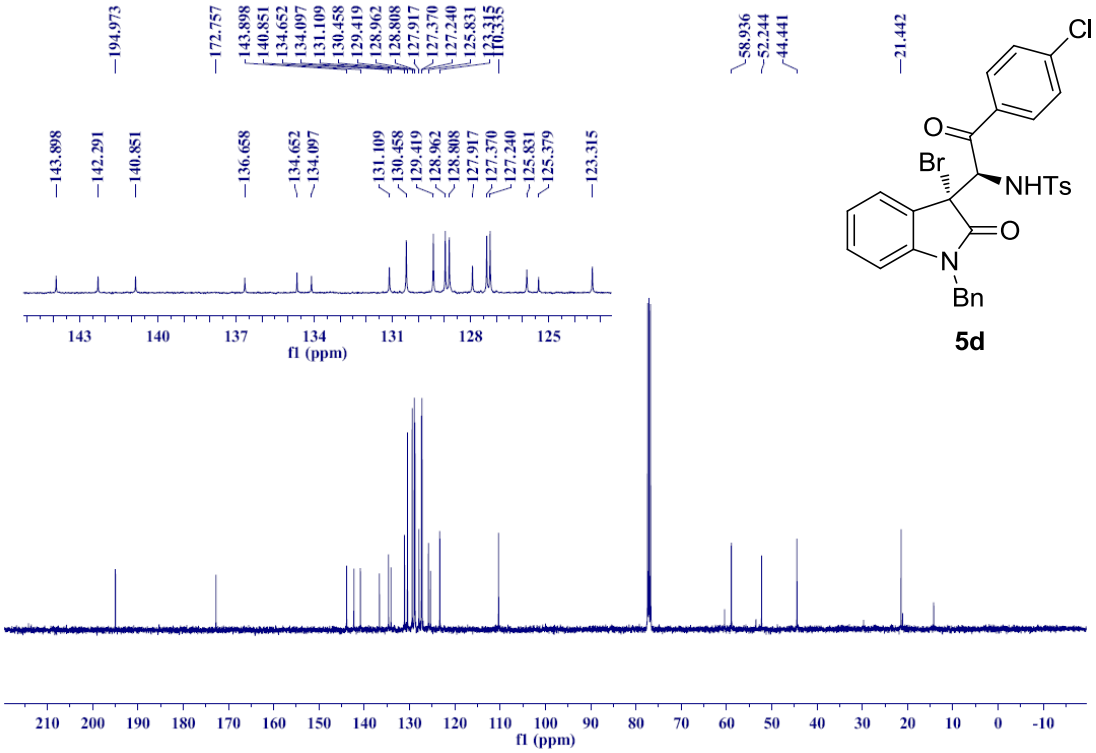
7.263
7.245
7.229
7.211
7.180
7.066
7.047
6.961
6.942
6.863
6.845
6.561
6.542
6.146
6.121
5.703
5.678
4.956
4.917
4.775
4.736
2.184
0.000
Cl
O
Br
NHTs
O
N
Bn
5d
2.06
2.02
8.07
1.24
2.03
1.08
0.99
0.92
0.97
1.00
1.00
2.98
f1 (ppm)
f1 (ppm)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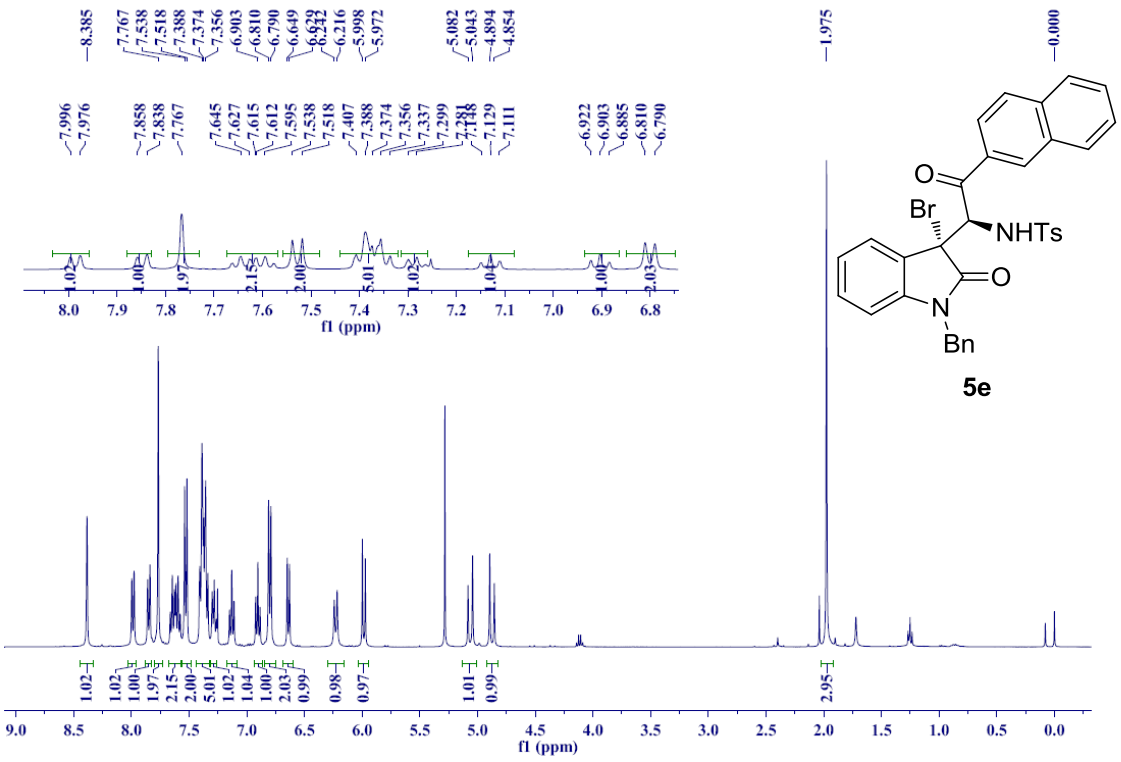
134.652
134.097
131.109
130.458
129.419
128.962
128.808
127.917
127.370
127.240
125.831
123.315
110.335
58.936
52.244
44.441
21.442
143.898
142.291
140.851
136.658
134.652
134.097
131.109
130.458
129.419
128.962
128.808
127.917
127.370
127.240
125.831
125.379
123.315
f1 (ppm)
Cl
O
Br
NHTs
O
N
Bn
5d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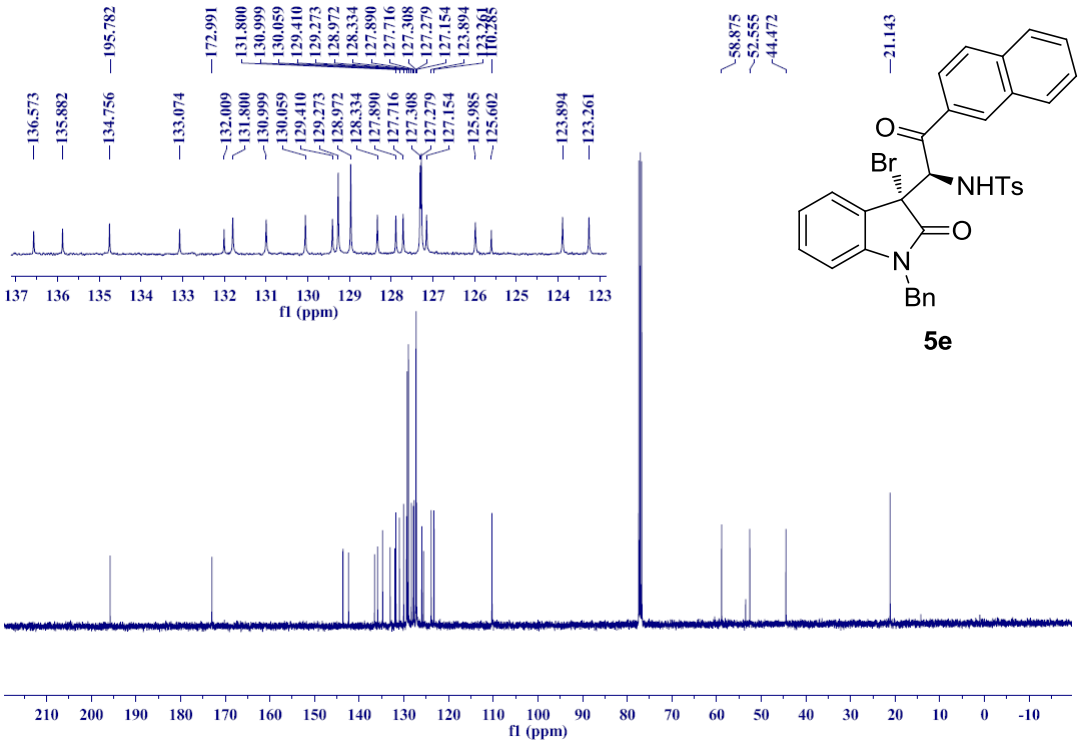
7.518
7.388
7.374
7.356
6.903
6.810
6.790
6.649
6.629
6.242
6.216
5.998
5.972
5.082
5.043
4.894
4.854
1.975
0.000
7.996
7.976
7.858
7.838
7.767
7.645
7.627
7.615
7.612
7.595
7.538
7.518
7.407
7.388
7.374
7.356
7.337
7.299
7.148
7.129
7.111
6.922
6.903
6.885
6.810
6.790
f1 (ppm)
O
Br
NHTs
O
N
Bn
5e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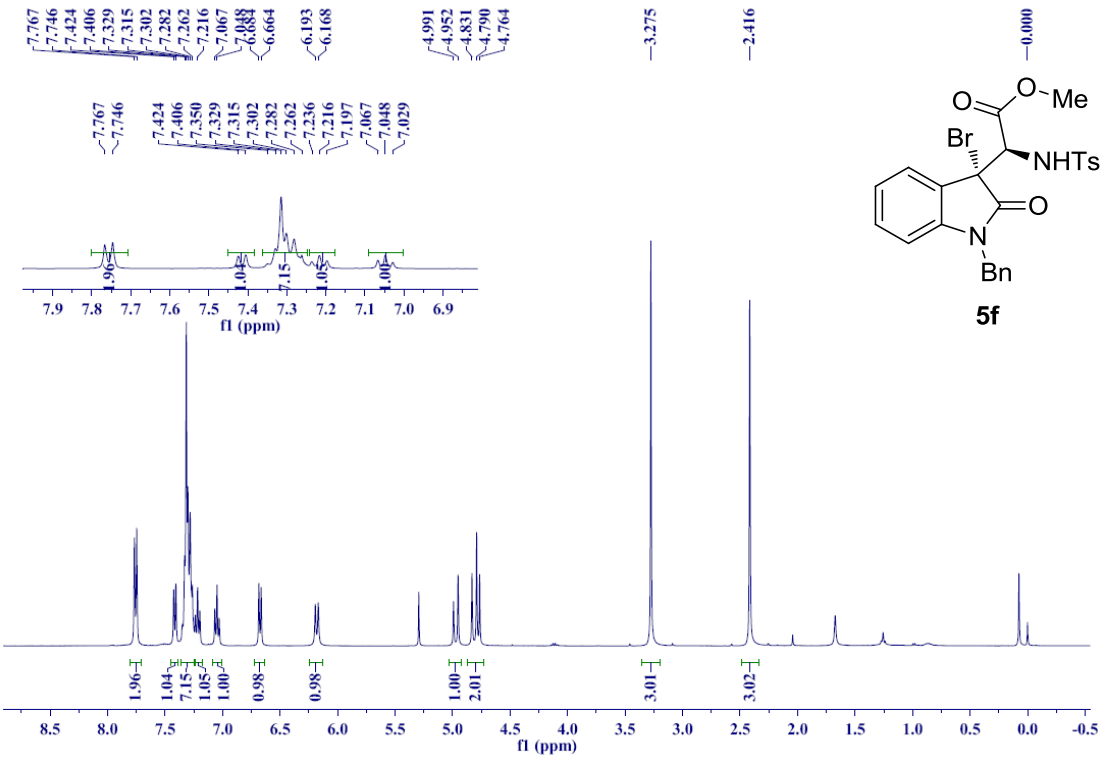
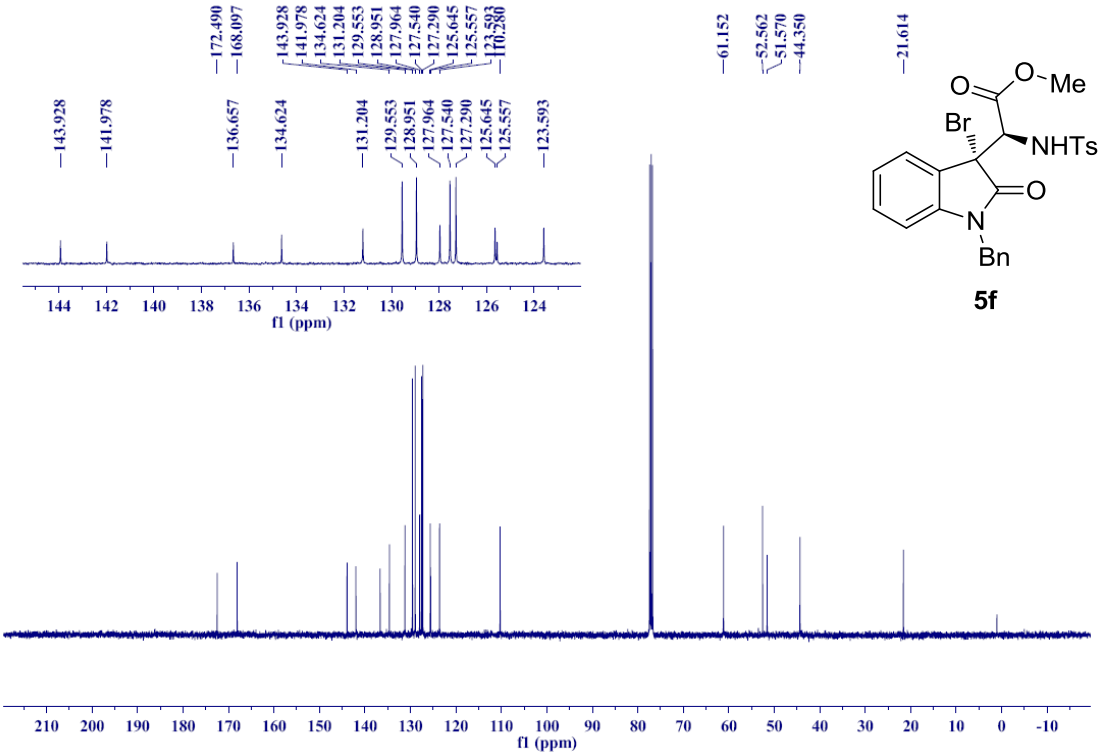
128.972
128.334
127.890
127.716
127.308
127.279
127.154
123.894
123.261
110.285
58.875
52.555
44.472
21.143
136.573
135.882
134.756
133.074
132.009
125.985
125.602
O
Br
NHTs
O
N
Bn
5e
f1 (ppm)


7.767
7.746
7.424
7.406
7.329
7.315
7.302
7.282
7.262
7.216
7.067
7.048
6.684
6.664
6.193
6.168
4.991
4.952
4.831
4.790
4.764
3.275
2.416
0.000
7.029
7.197
7.236
O
O
Me
Br
NHTs
O
N
Bn
5f
1.96
1.04
7.15
1.05
1.00
0.98
0.98
1.00
2.01
3.01
3.02
f1 (ppm)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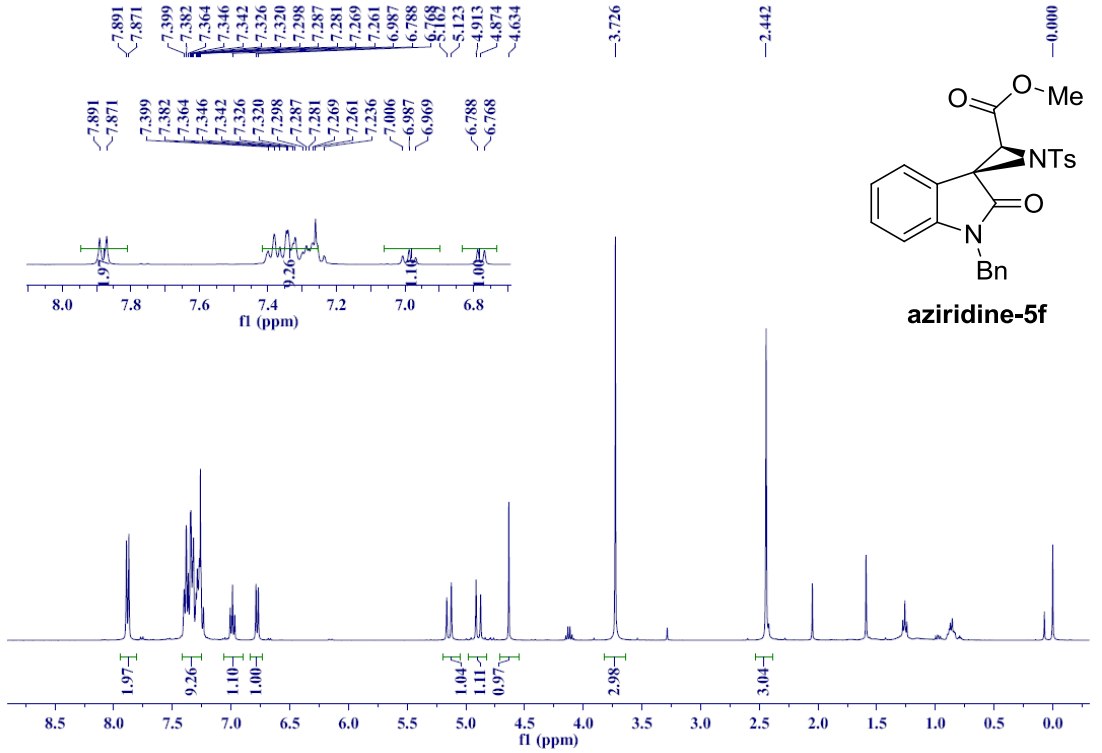
141.978
134.624
131.204
129.553
128.951
127.964
127.540
127.290
125.645
125.557
123.593
61.152
52.562
51.570
44.350
21.614
f1 (ppm)
O
Me
Br
NHTs
O
N
Bn
5f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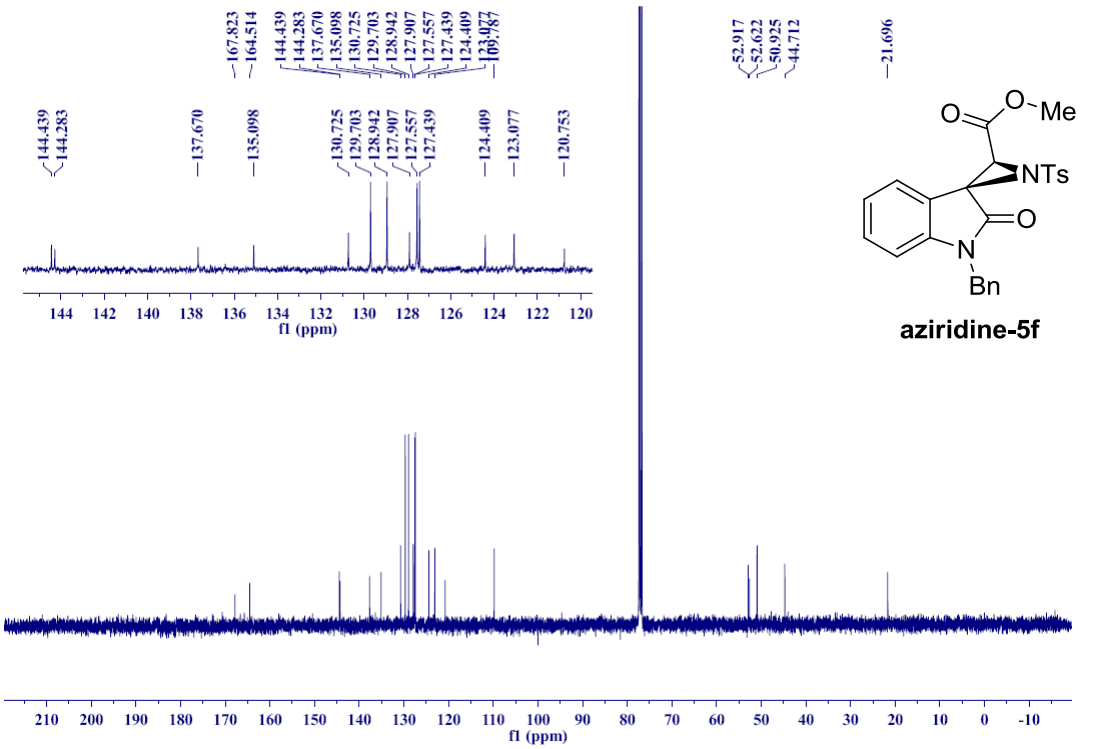
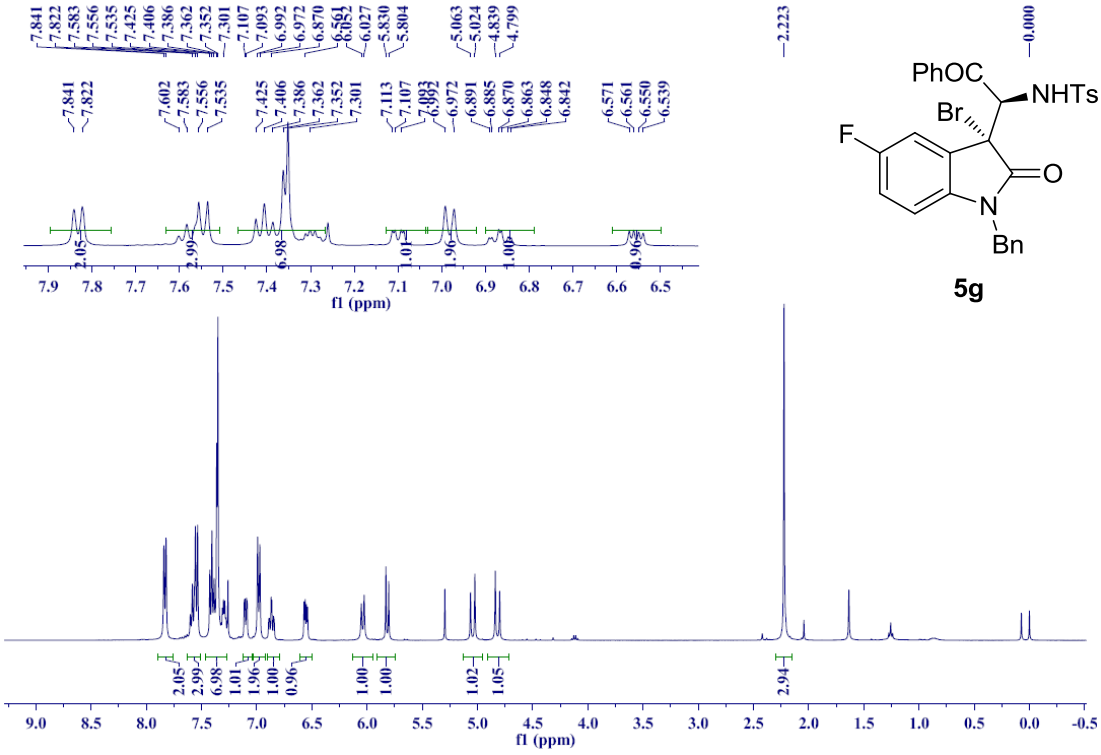
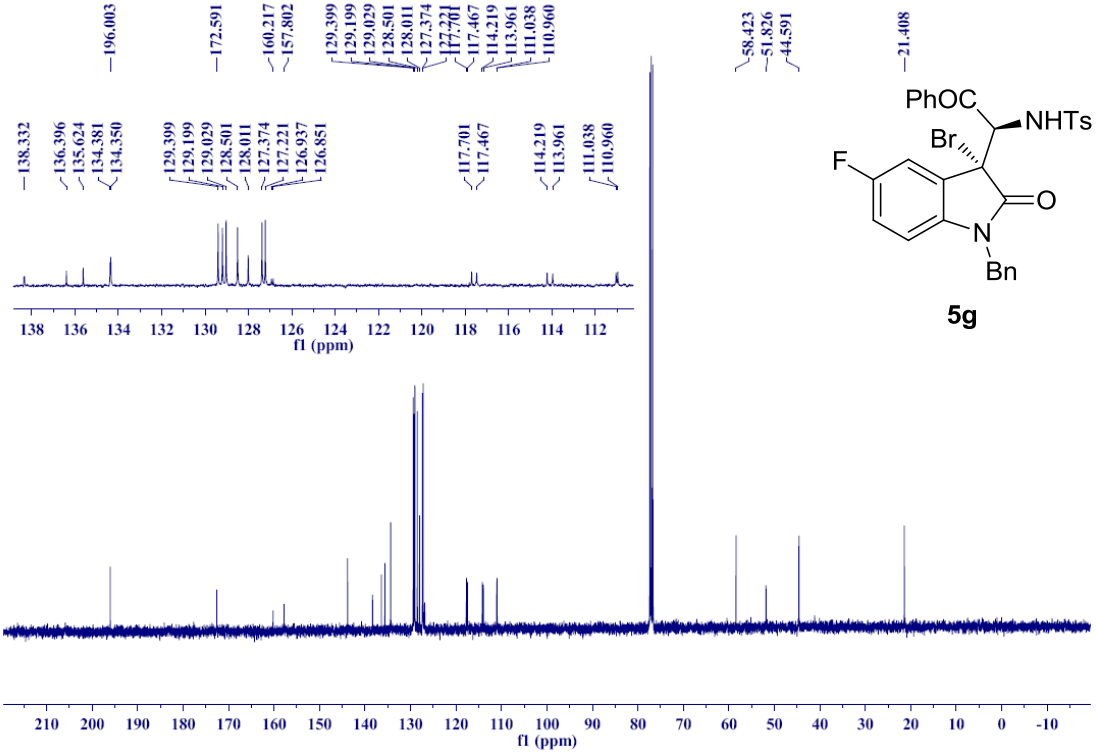
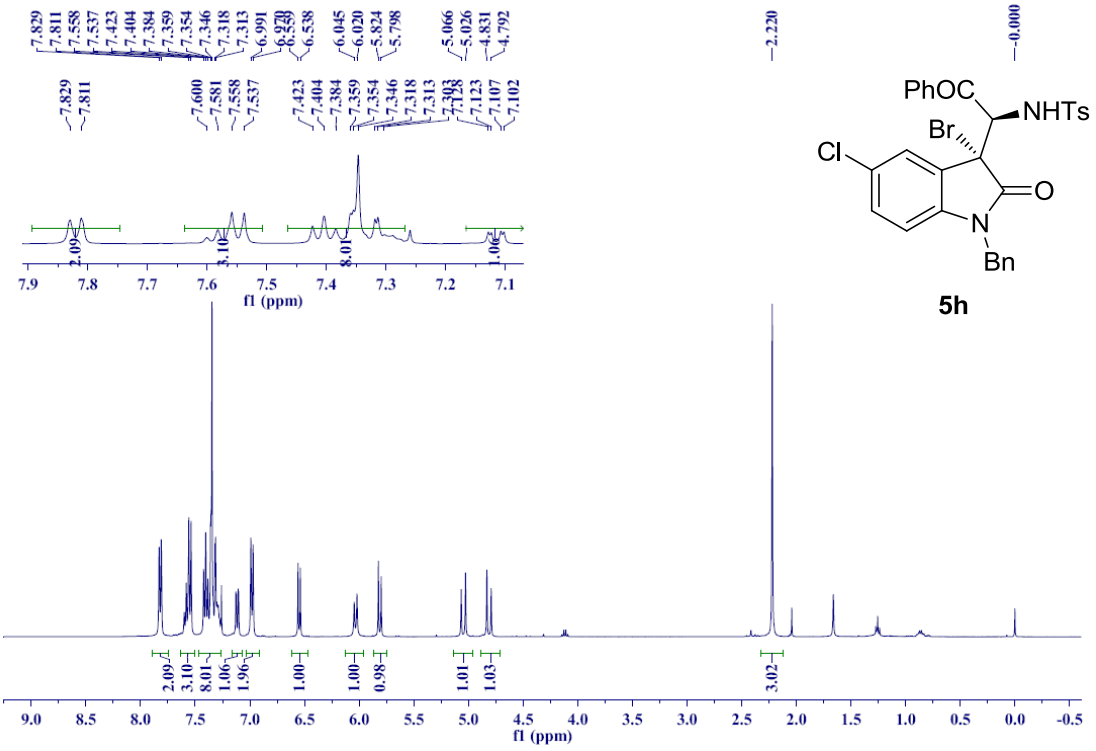
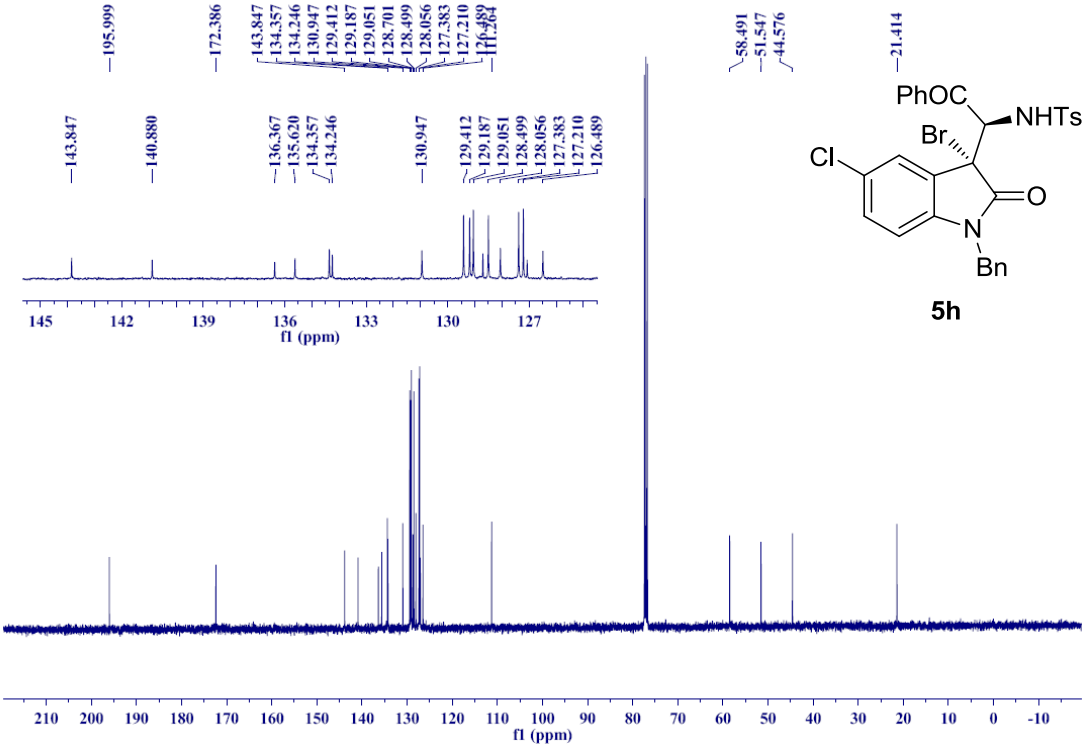
7.399
7.382
7.364
7.346
7.342
7.326
7.320
7.298
7.287
7.281
7.269
7.261
6.987
6.788
6.768
5.162
5.123
4.913
4.874
4.634
3.726
2.442
0.000
1.97
9.26
1.10
1.00
1.04
1.11
0.97
2.98
3.04
f1 (ppm)
O
Me
NTs
O
N
Bn
aziridine-5f

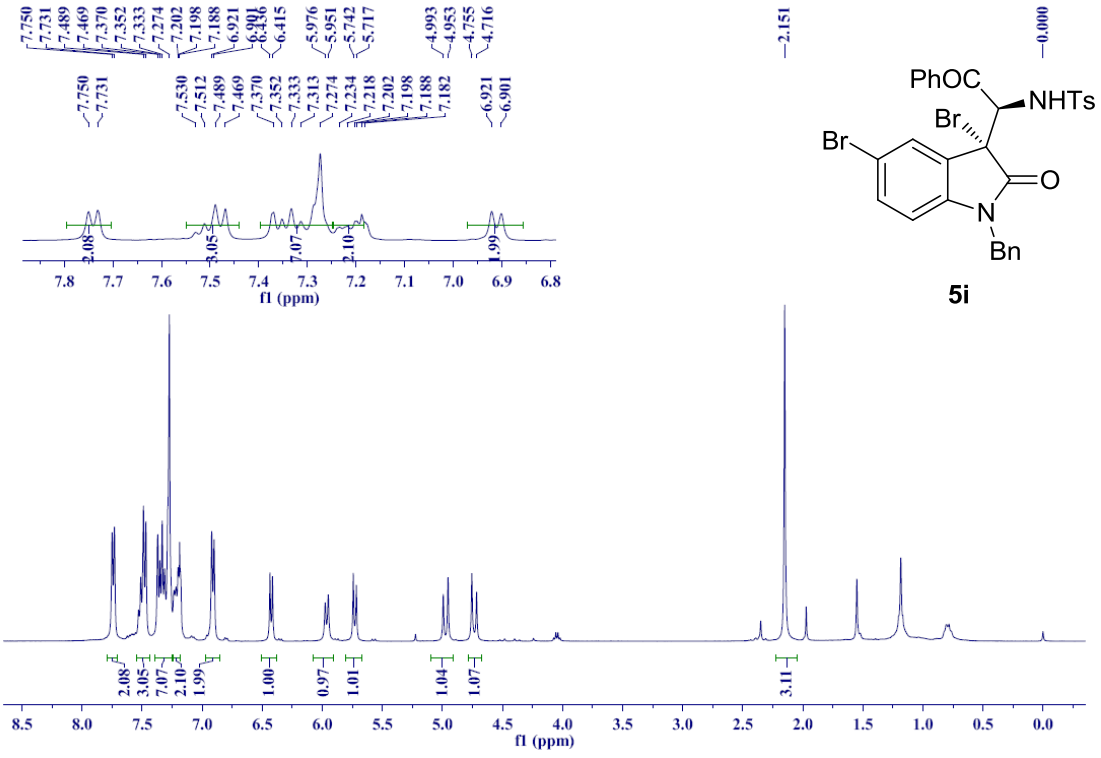

aziridine-5f
f1 (ppm)

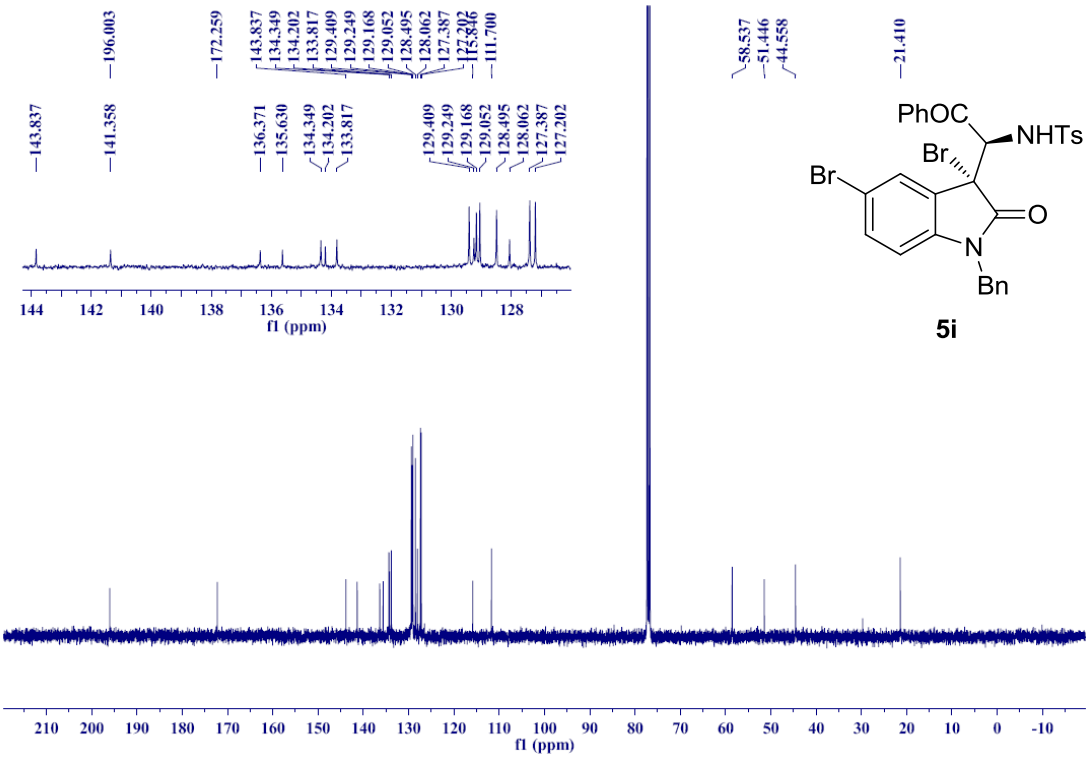

5g
f1 (ppm)


PhOC
NHTs
Br
F
O
N
Bn
5g
f1 (ppm)


PhOC
NHTs
Br
Cl
O
N
Bn
5h
f1 (ppm)


PhOC
NHTs
Br
Cl
O
N
Bn
5h
f1 (ppm)


PhOC
NHTs
Br
Br
O
N
Bn
5i
f1 (ppm)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143.837
134.349
134.202
133.817
129.409
129.249
129.168
129.052
128.495
128.062
127.387
127.202
111.700
58.537
51.446
44.558
21.410
143.837
141.358
136.371
135.630
134.349
134.202
133.817
129.409
129.249
129.168
129.052
128.495
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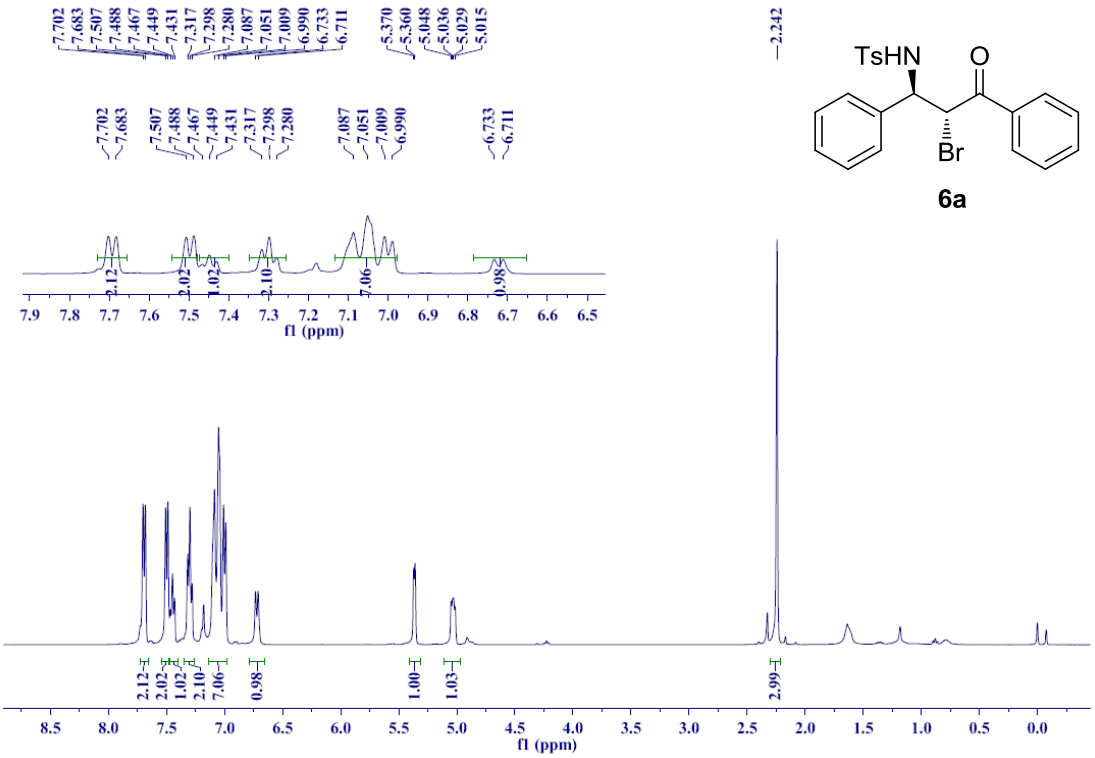
127.202
f1 (ppm)
PhOC
NHTs
Br
Br
O
N
Bn
5i
f1 (ppm)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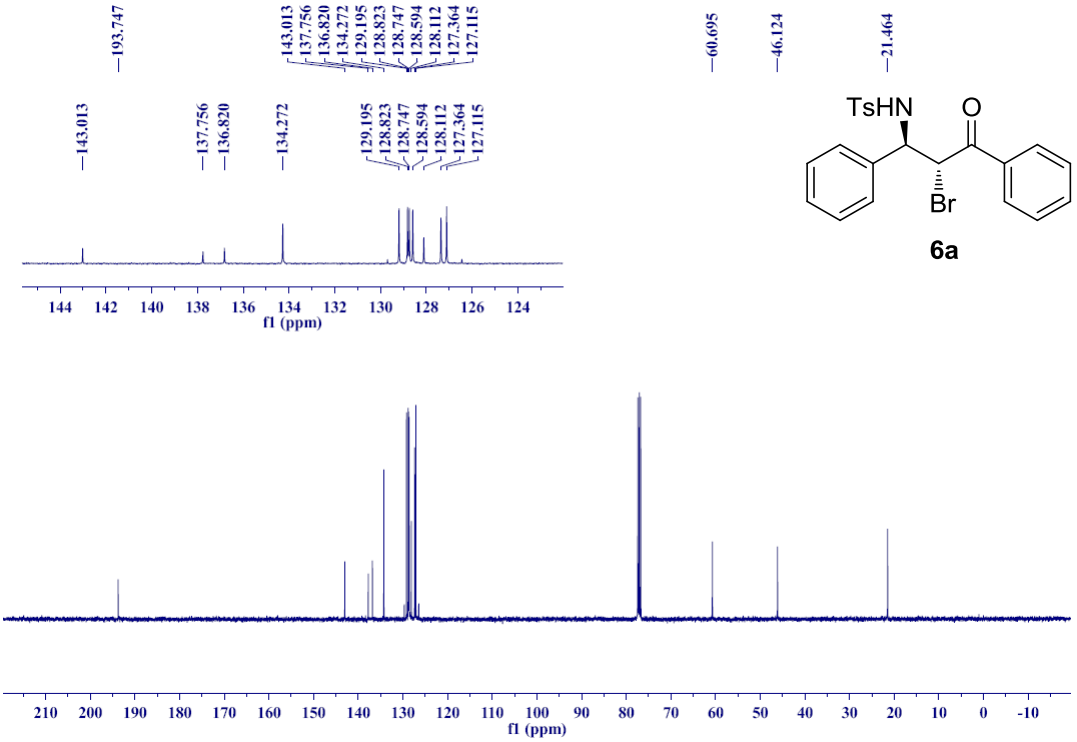
7.683
7.507
7.488
7.467
7.449
7.431
7.317
7.298
7.280
7.087
7.051
7.009
6.990
6.733
6.711
5.370
5.360
5.048
5.036
5.029
5.015
2.242
TsHN
O
Br
6a
2.12
2.02
1.02
2.10
7.06
0.98
f1 (ppm)
2.12
2.02
1.02
2.10
7.06
0.98
1.00
1.03
2.99
f1 (ppm)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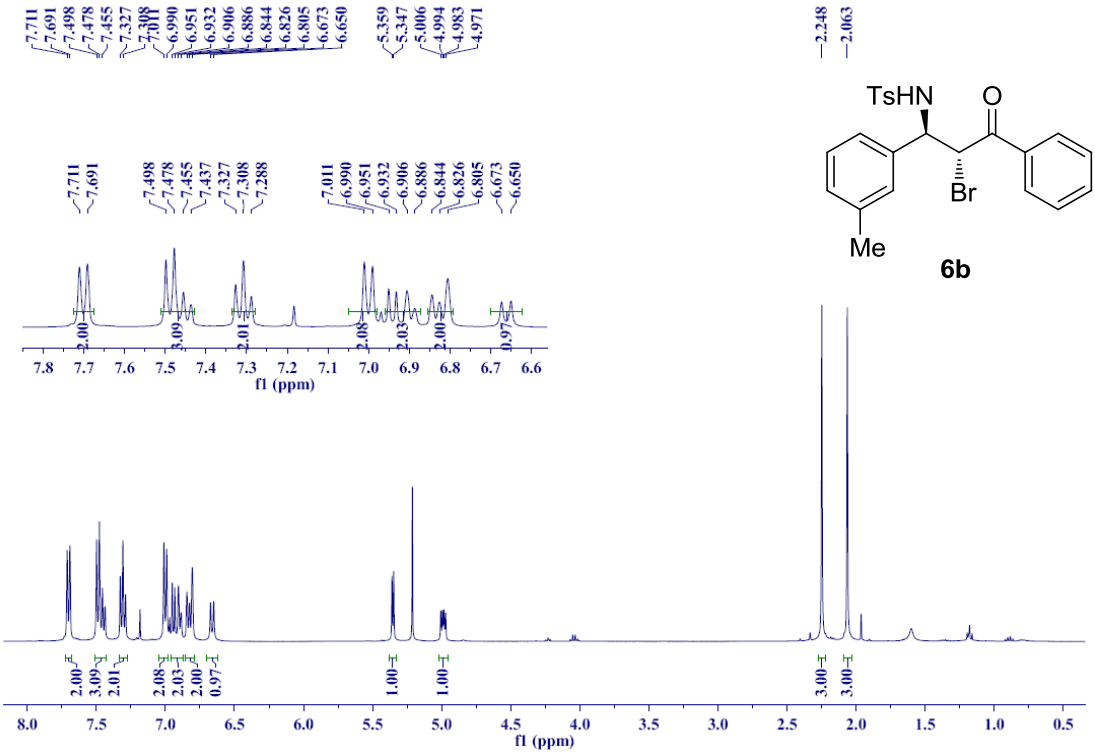
143.013
137.756
136.820
134.272
129.195
128.823
128.747
128.594
128.112
127.364
127.115
60.695
46.124
21.464
TsHN
O
Br
6a
f1 (ppm)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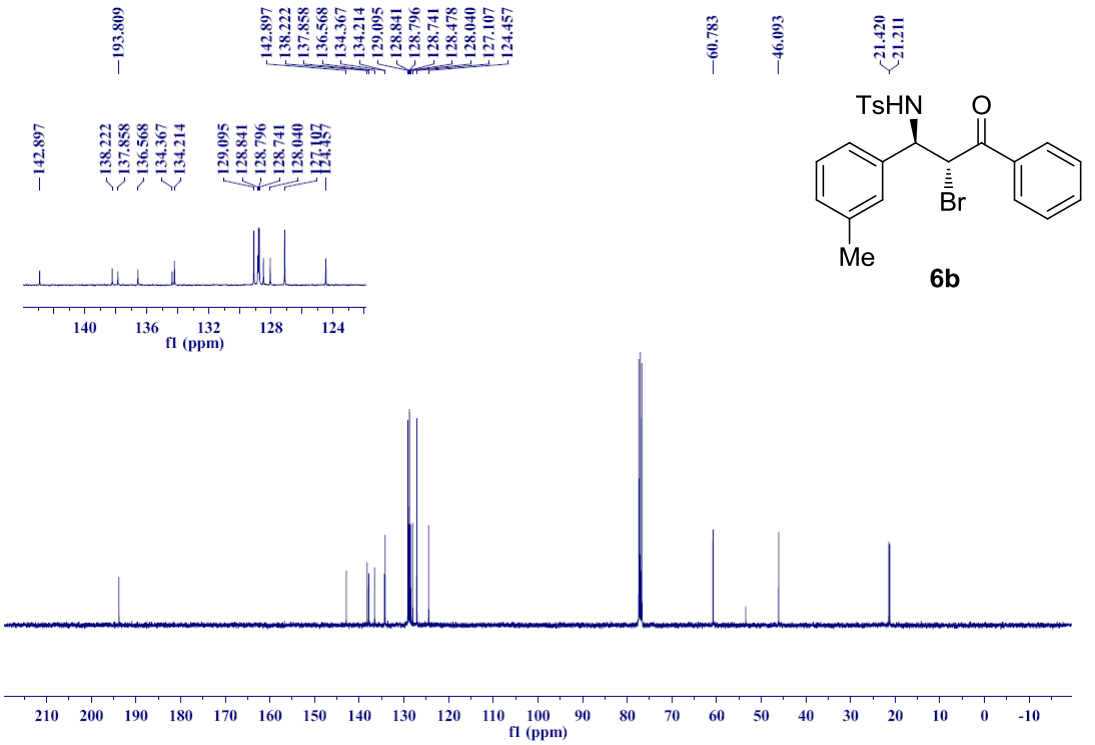
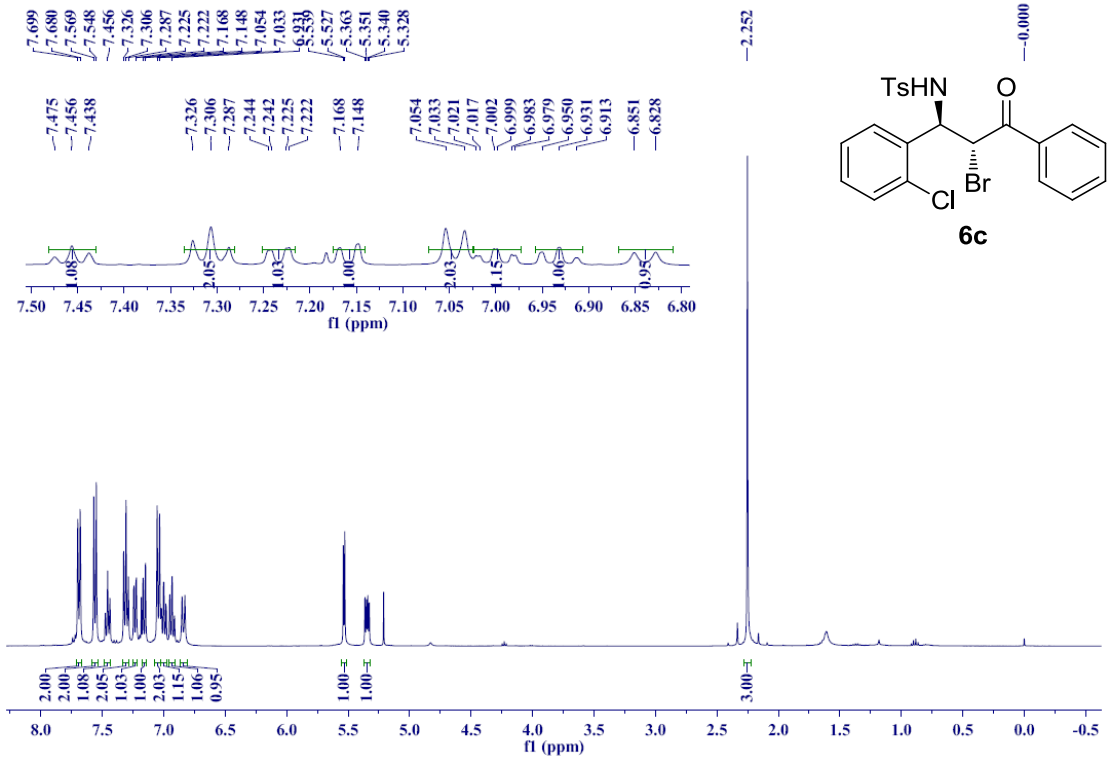
7.691
7.498
7.478
7.455
7.437
7.327
7.308
7.288
7.011
6.990
6.951
6.932
6.906
6.886
6.844
6.826
6.805
6.673
6.650
5.359
5.347
5.006
4.994
4.983
4.971
2.248
2.063
TsHN
O
Br
Me
6b
f1 (ppm)


193.809
142.897
138.222
137.858
136.568
134.367
134.214
129.095
128.841
128.796
128.741
128.478
128.040
127.107
124.457
60.783
46.093
21.420
21.211
TsHN
O
Br
Me
6b
f1 (ppm)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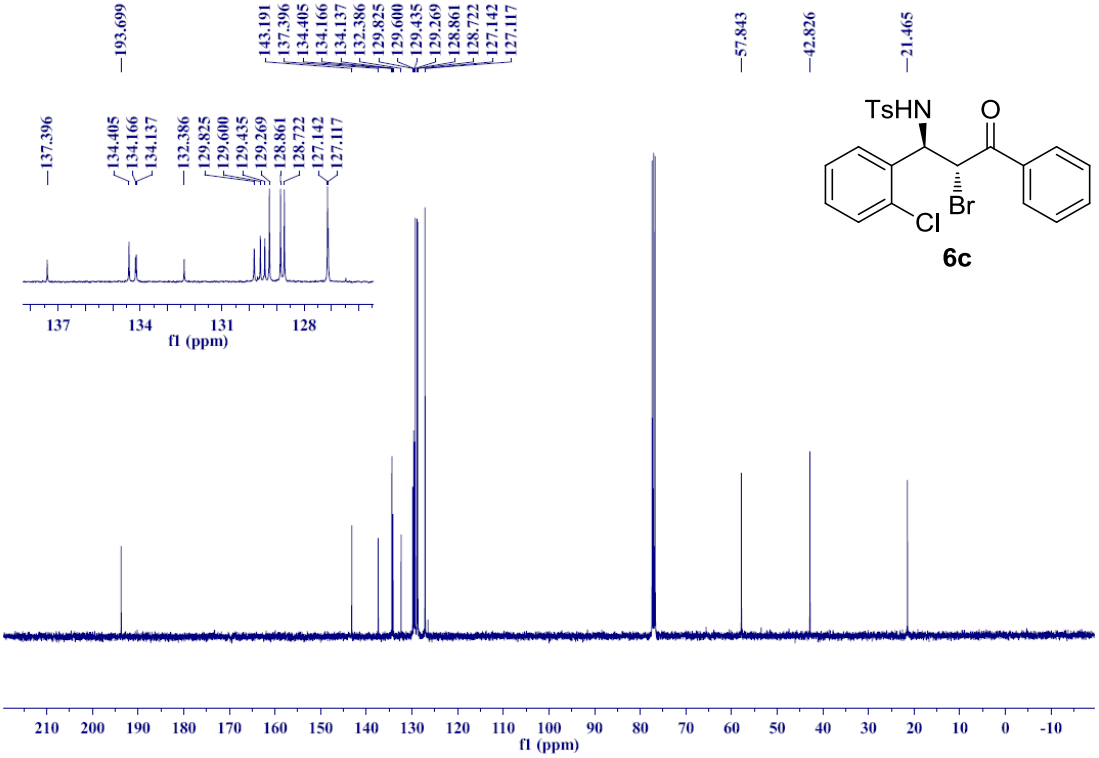
7.680
7.569
7.548
7.456
7.326
7.306
7.287
7.225
7.222
7.168
7.148
7.054
7.033
6.931
5.539
5.527
5.363
5.351
5.340
5.328
2.252
0.000
TsHN
O
Br
Cl
6c
f1 (ppm)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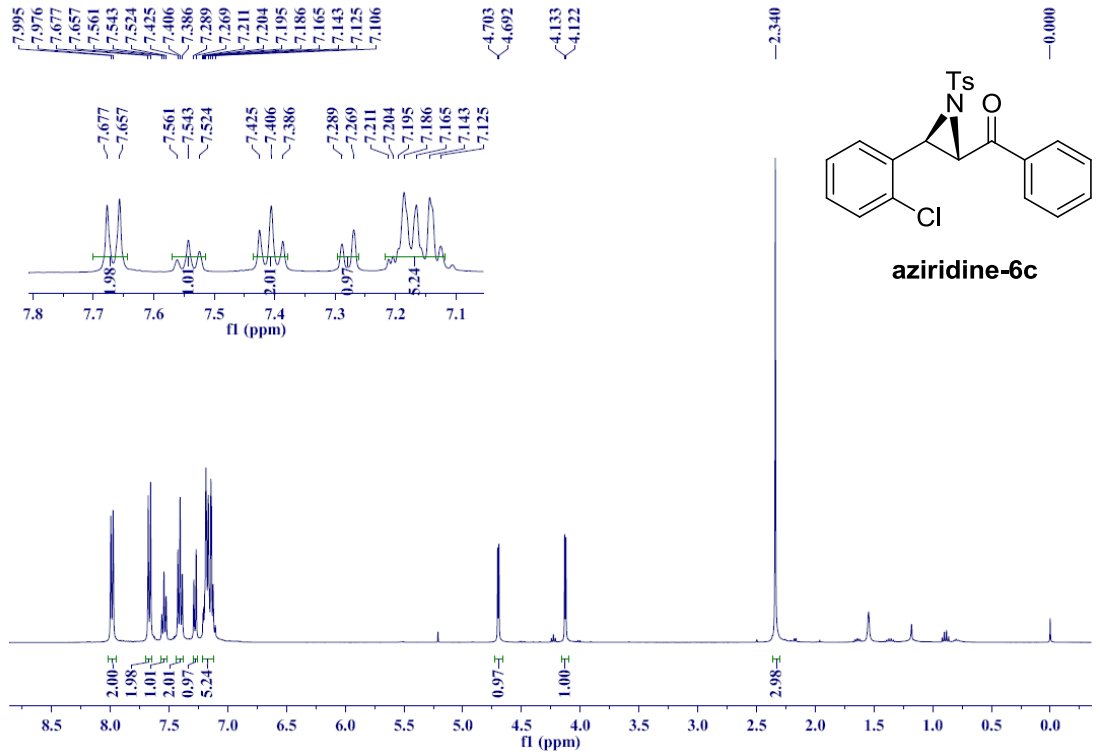
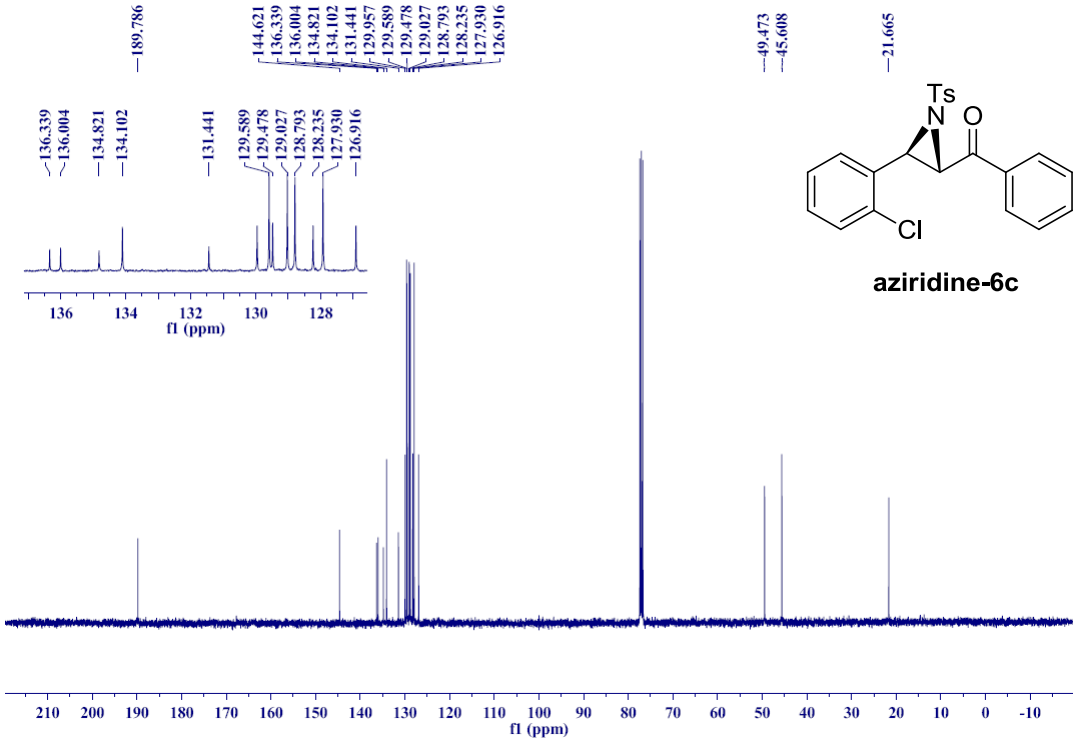
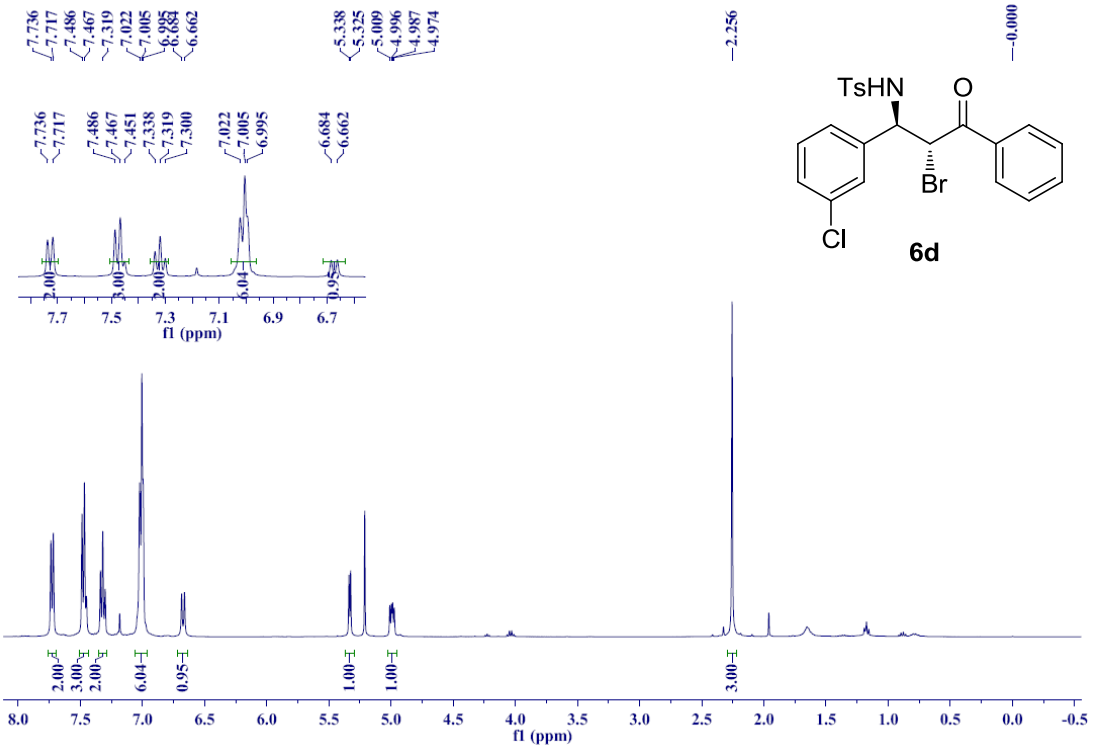
143.191
137.396
134.405
134.166
134.137
132.386
129.825
129.600
129.435
129.269
128.861
128.722
127.142
127.117
57.843
42.826
21.465
TsHN
O
Br
Cl
6c
fl (ppm)


7.995
7.976
7.677
7.657
7.561
7.543
7.524
7.425
7.406
7.386
7.289
7.269
7.211
7.204
7.195
7.186
7.165
7.143
7.125
7.106
4.703
4.692
4.133
4.122
2.340
0.000
Ts
N
O
Cl
aziridine-6c
2.00
1.98
1.01
2.01
0.97
5.24
0.97
1.00
2.98
fl (ppm)


189.786
144.621
136.339
136.004
134.821
134.102
131.441
129.589
129.478
129.027
128.793
128.235
127.930
126.916
49.473
45.608
21.665
Ts
N
O
Cl
aziridine-6c
f1 (ppm)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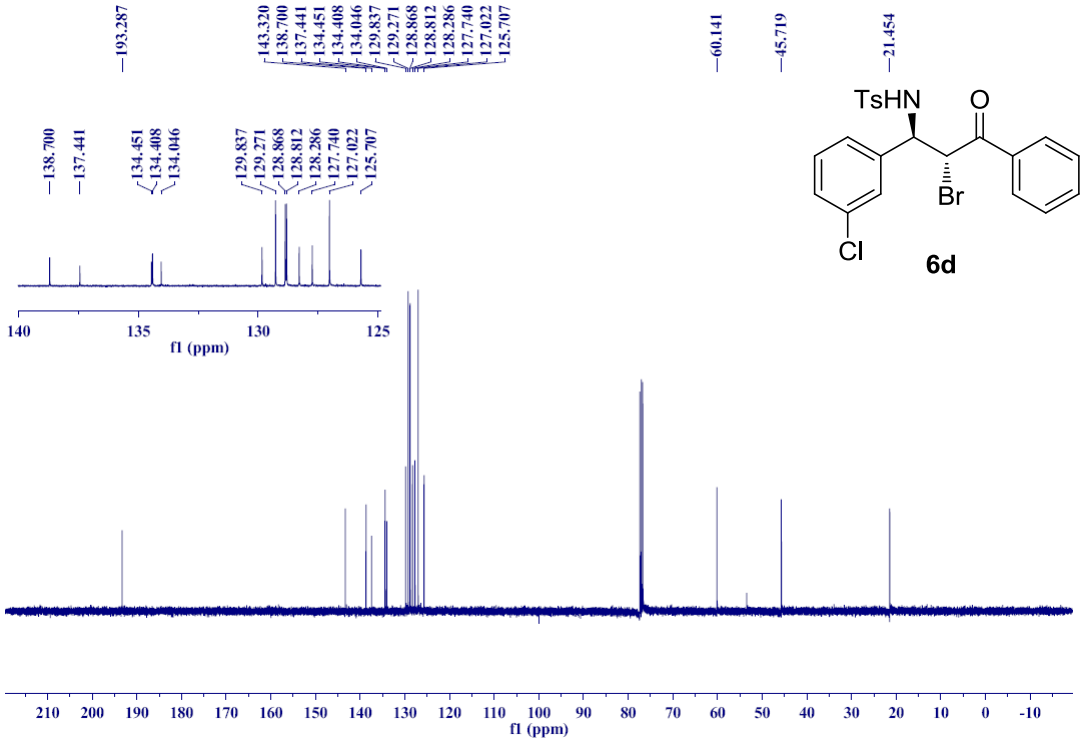
7.717
7.486
7.467
7.319
7.022
7.005
6.995
6.684
6.662
5.338
5.325
5.009
4.996
4.987
4.974
2.256
0.000
TsHN
O
Br
Cl
6d
2.00
3.00
2.00
6.04
0.95
1.00
1.00
3.00
f1 (ppm)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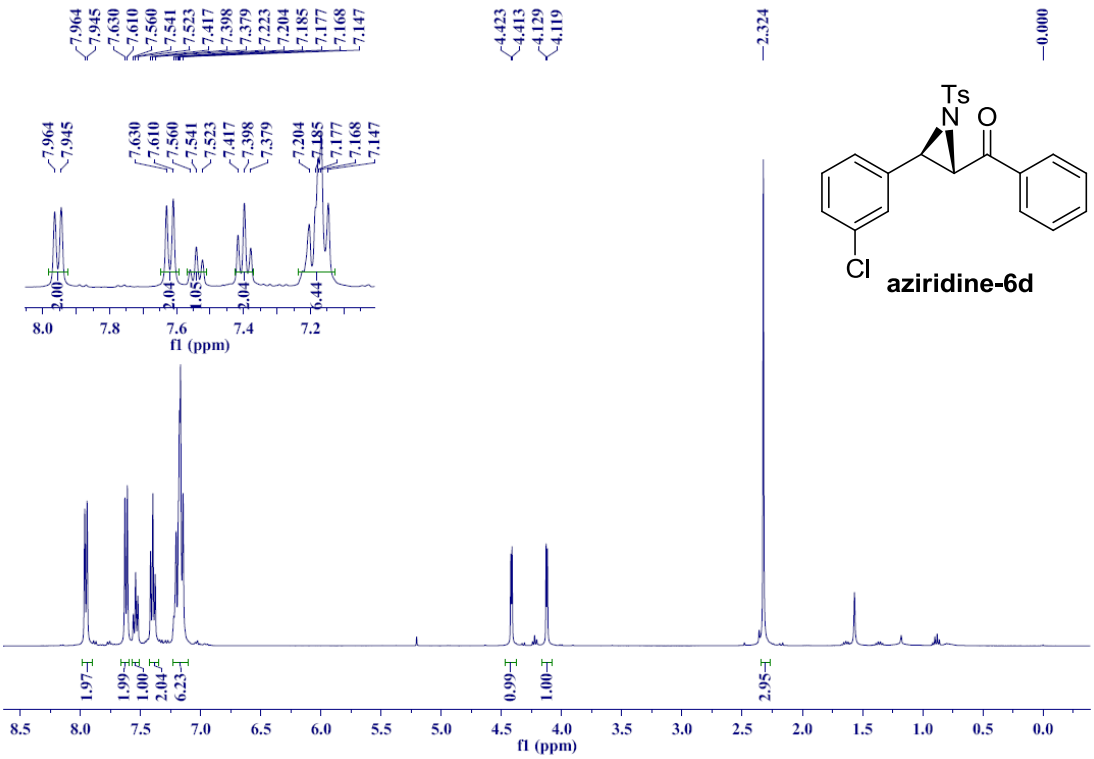
138.700
137.441
134.451
134.408
134.046
129.837
129.271
128.868
128.812
128.286
127.740
127.022
125.707
60.141
45.719
21.454
TsHN
O
Br
Cl
6d
f1 (ppm)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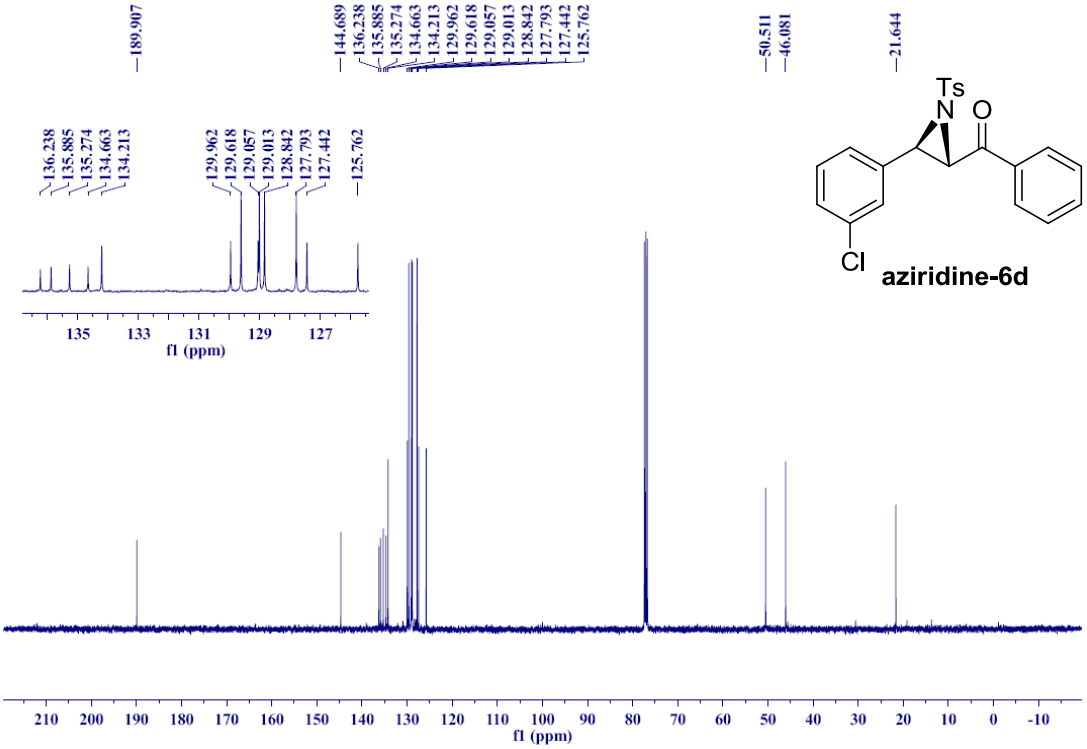
7.945
7.630
7.610
7.560
7.541
7.523
7.417
7.398
7.379
7.223
7.204
7.185
7.177
7.168
7.147
4.423
4.413
4.129
4.119
2.324
0.000
Ts
N
O
Cl
aziridine-6d
2.00
2.04
1.05
2.04
6.44
1.97
1.99
1.00
2.04
6.23
0.99
1.00
2.95
f1 (ppm)


189.907
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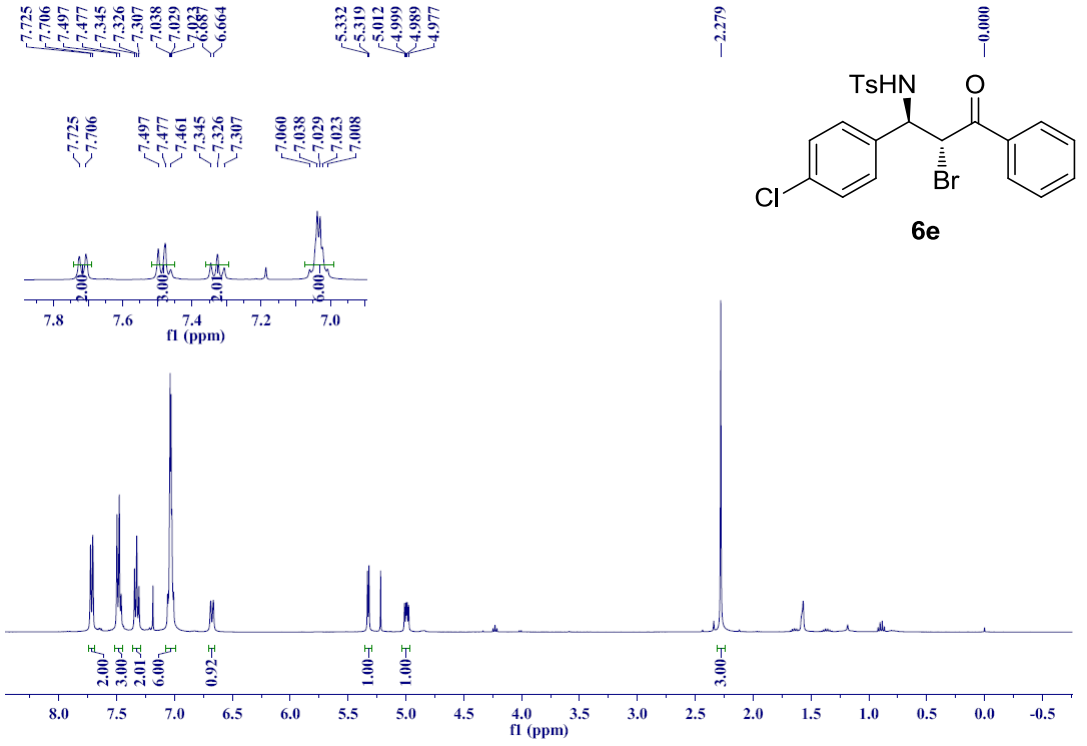
136.238
135.885
135.274
134.663
134.213
129.962
129.618
129.057
129.013
128.842
127.793
127.442
125.762
50.511
46.081
21.644
Ts
N
O
Cl
aziridine-6d
f1 (ppm)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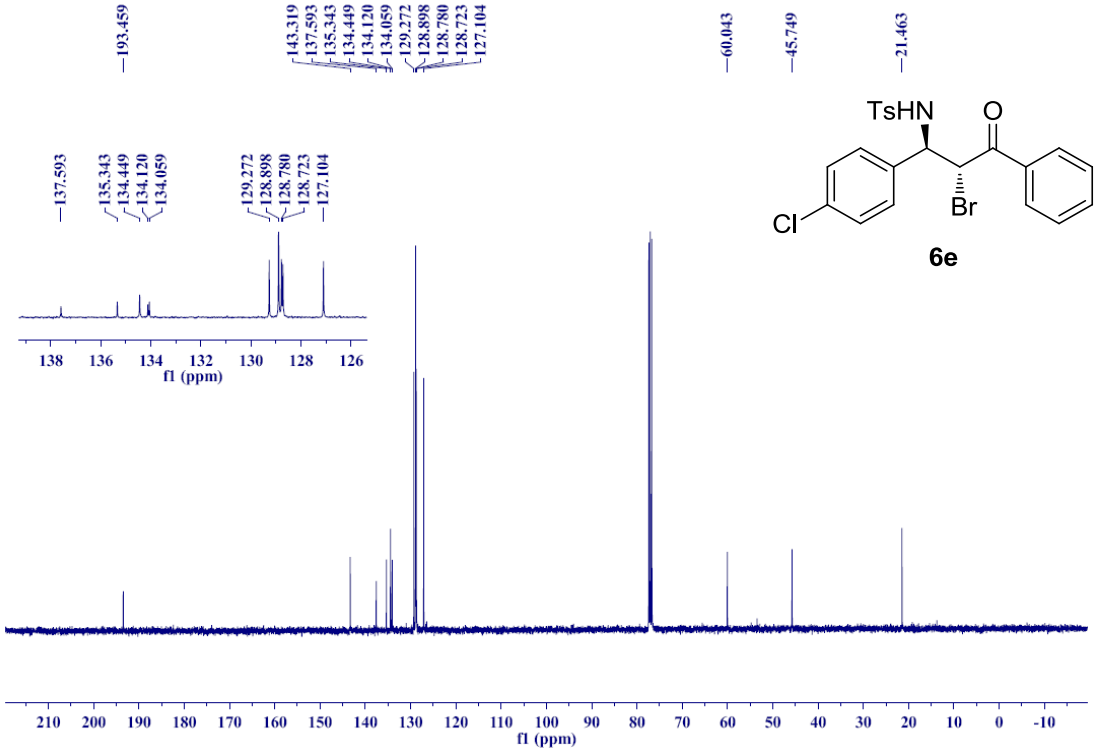
7.477
7.461
7.345
7.326
7.307
7.060
7.038
7.029
7.023
7.008
6.687
6.664
5.332
5.319
5.012
4.999
4.989
4.977
2.279
0.000
TsHN
O
Br
Cl
6e
2.00
3.00
2.01
6.00
0.92
1.00
1.00
3.00
f1 (ppm)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134.120
134.059
129.272
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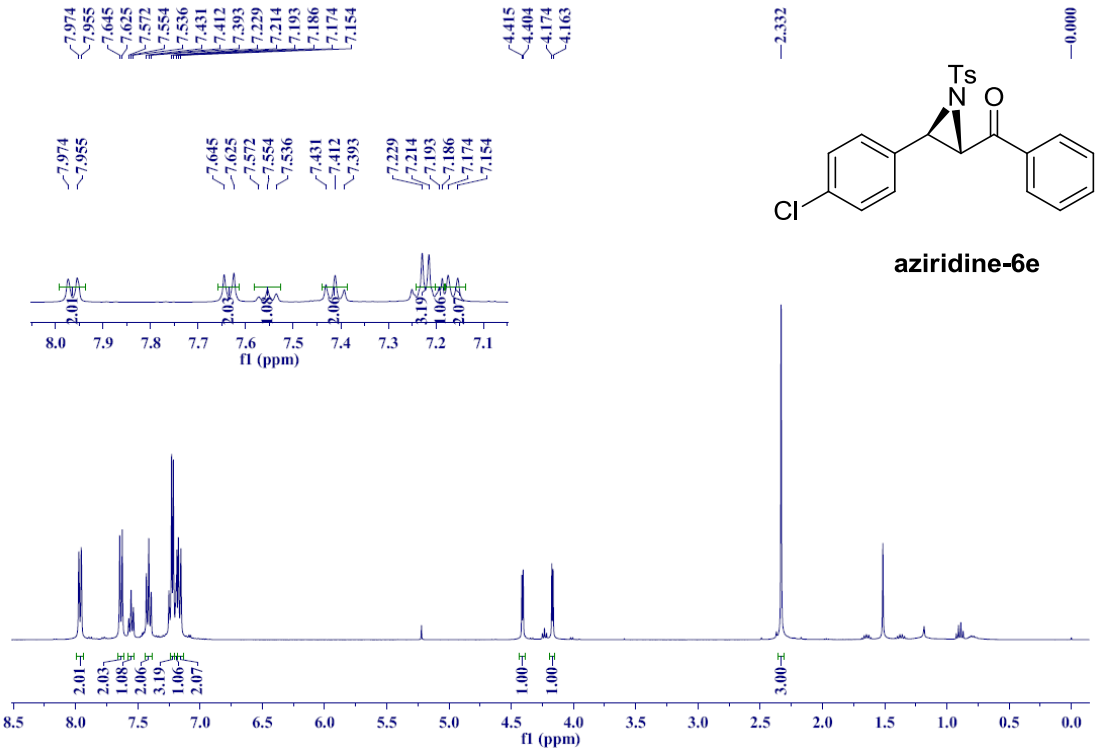
127.104
60.043
45.749
21.463
TsHN
O
Br
Cl
6e
f1 (ppm)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7.645
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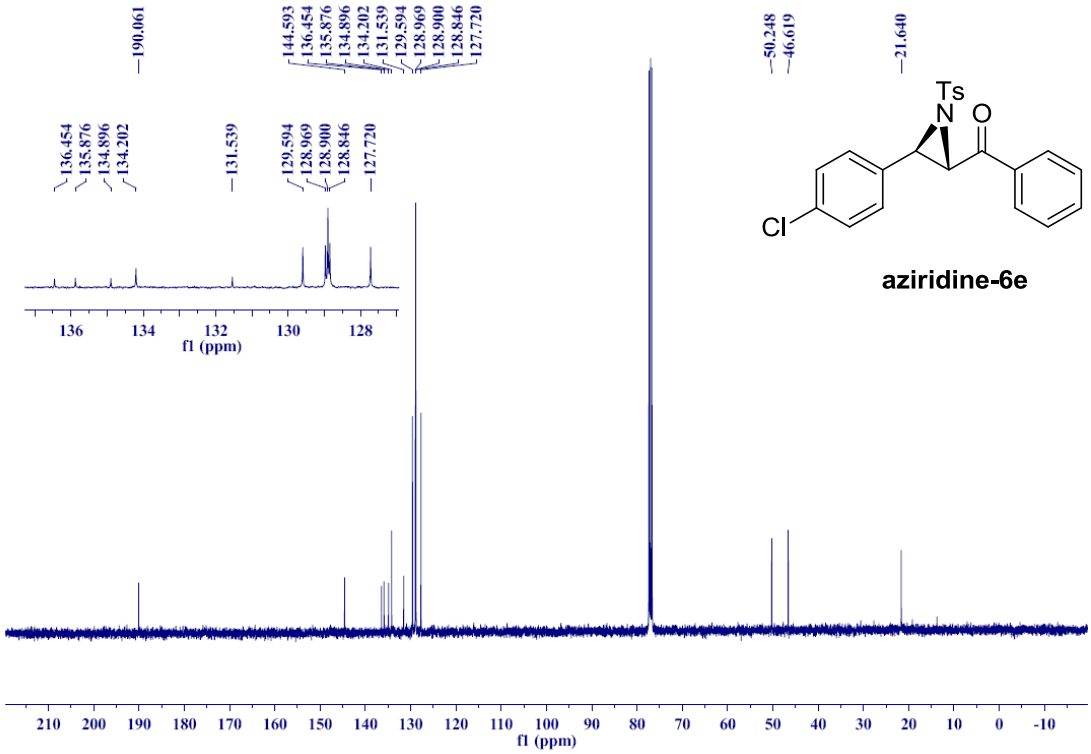
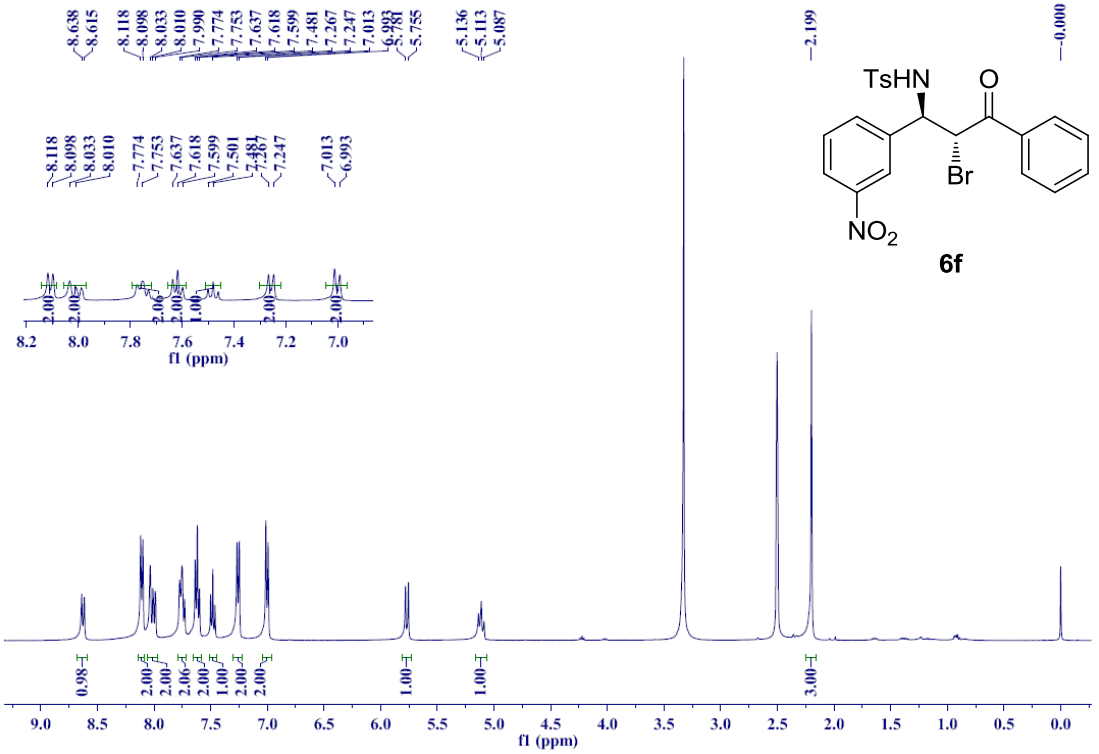
7.572
7.554
7.536
7.431
7.412
7.393
7.229
7.214
7.193
7.186
7.174
7.154
4.415
4.404
4.174
4.163
2.332
0.000
Ts
N
O
Cl
aziridine-6e
2.01
2.03
1.08
2.06
3.19
1.06
2.07
1.00
1.00
3.00
f1 (ppm)


190.061
144.593
136.454
135.876
134.896
134.202
131.539
129.594
128.969
128.900
128.846
127.720
50.248
46.619
21.640
Ts
N
O
Cl
aziridine-6e
f1 (ppm)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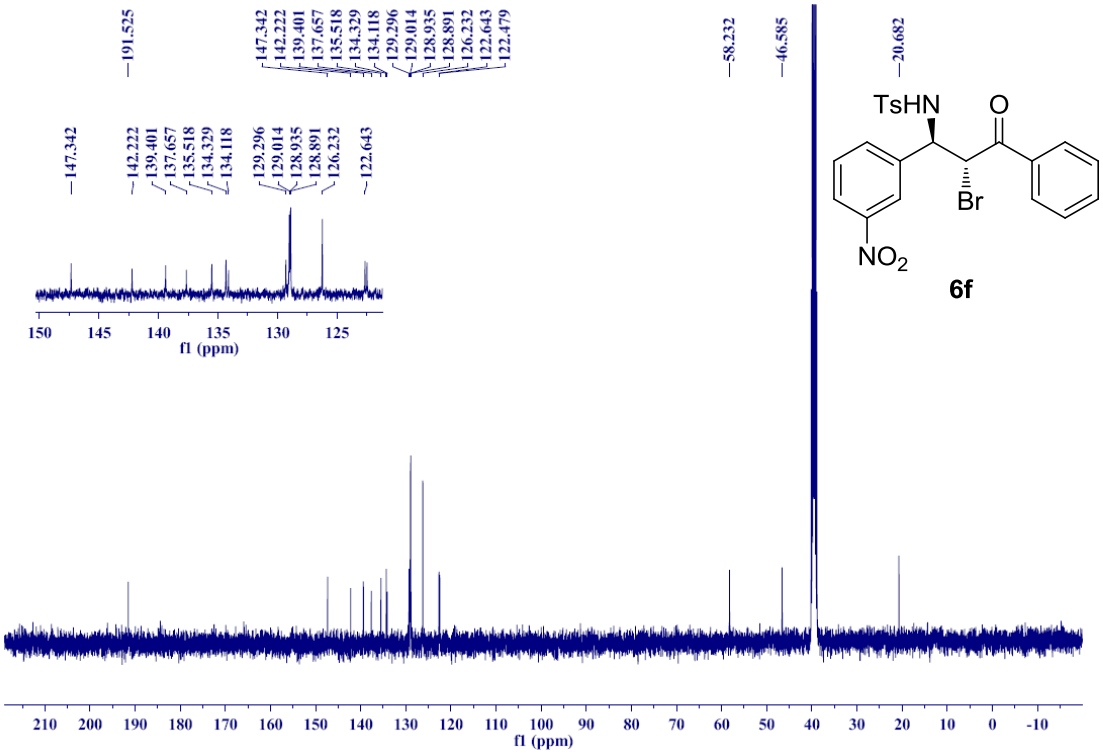
8.098
8.033
8.010
7.990
7.774
7.753
7.637
7.618
7.599
7.481
7.267
7.247
7.013
6.993
5.755
5.136
5.113
5.087
2.199
0.000
TsHN
O
Br
NO2
6f
f1 (ppm)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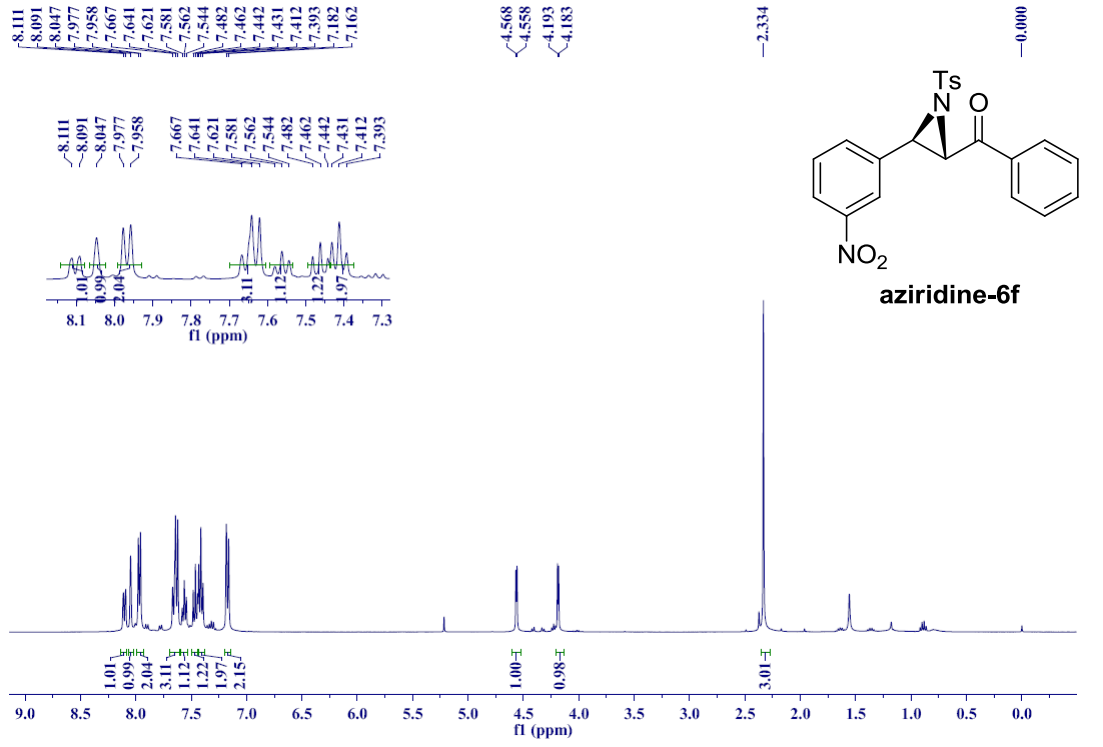
137.657
135.518
134.329
134.118
129.296
129.014
128.935
128.891
126.232
122.643
122.479
58.232
46.585
20.682
TsHN
O
Br
NO2
6f
f1 (ppm)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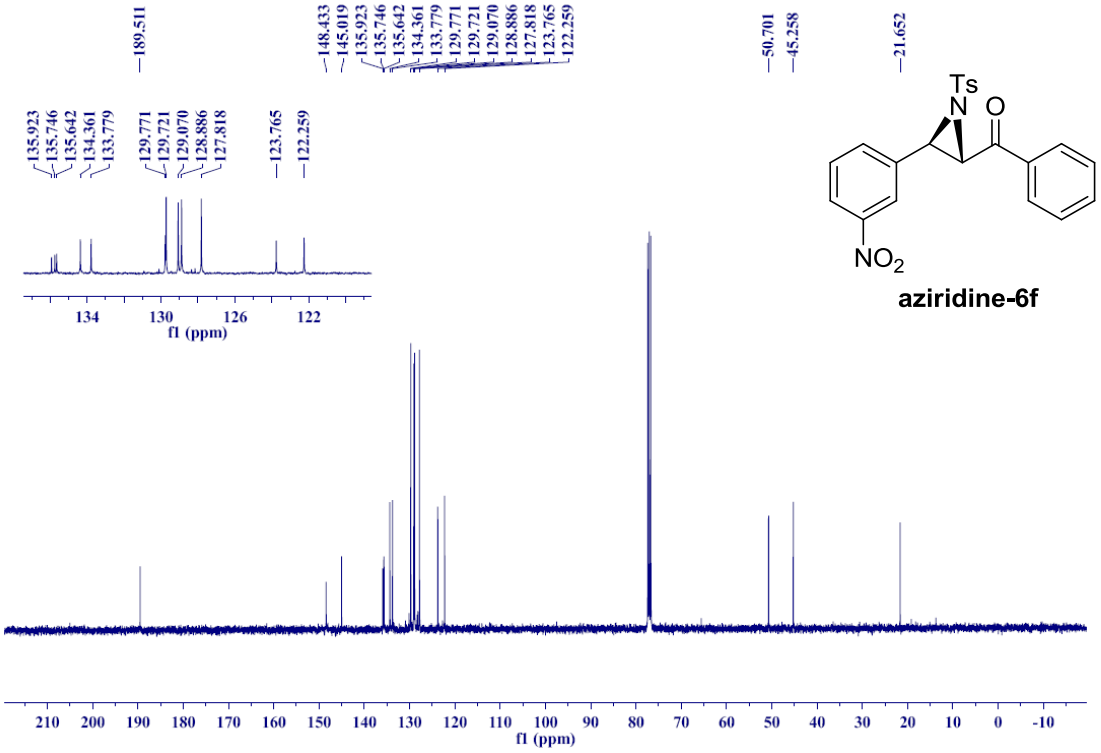
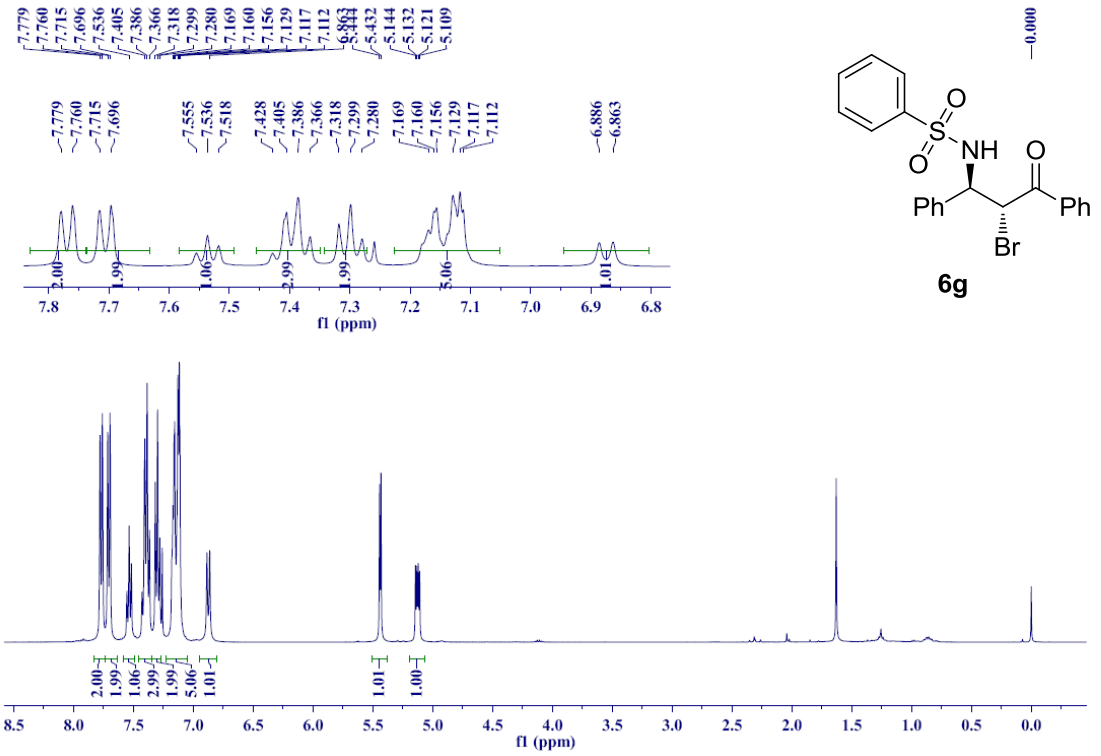
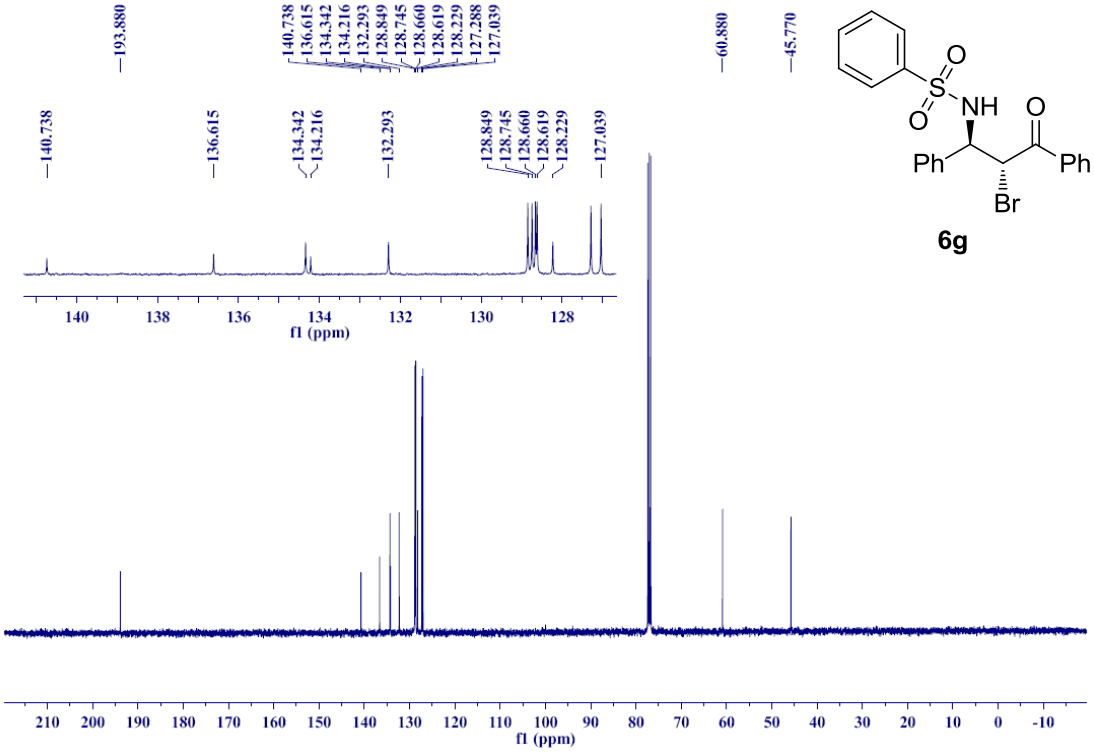
8.091
8.047
7.977
7.958
7.667
7.641
7.621
7.581
7.562
7.544
7.482
7.462
7.442
7.431
7.412
7.393
7.182
7.162
4.568
4.558
4.193
4.183
2.334
0.000
Ts
N
O
NO2
aziridine-6f
1.01
0.99
2.04
3.11
1.12
1.22
1.97
2.15
1.00
0.98
3.01
f1 (ppm)



aziridine-6f



6g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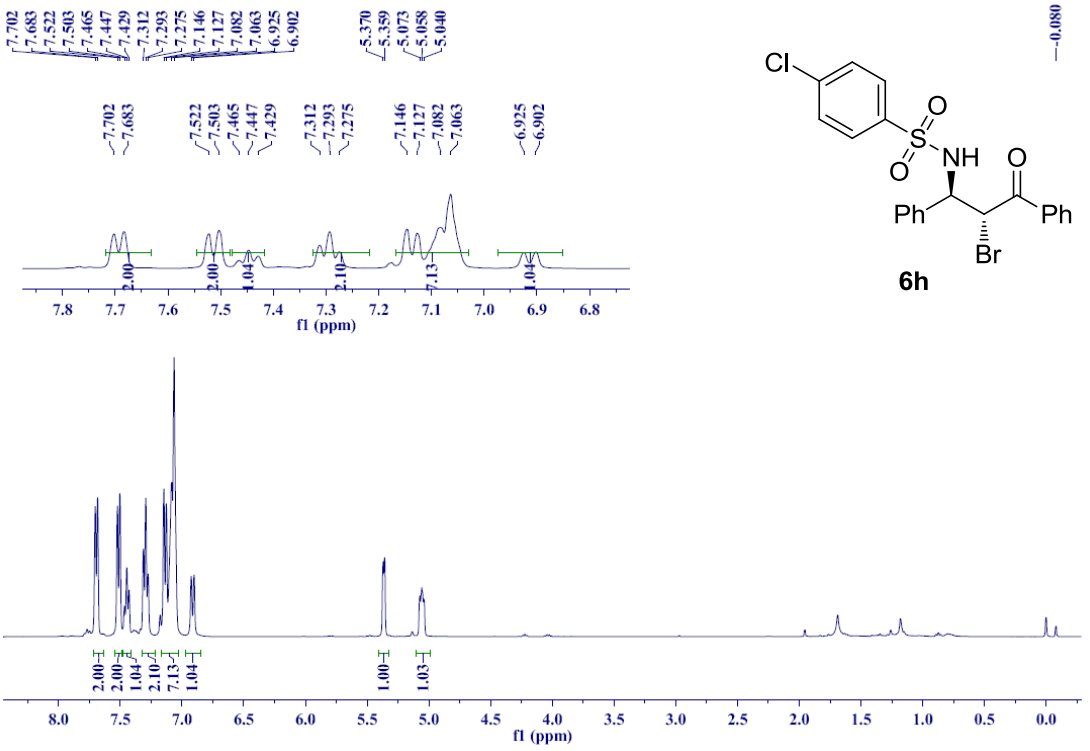
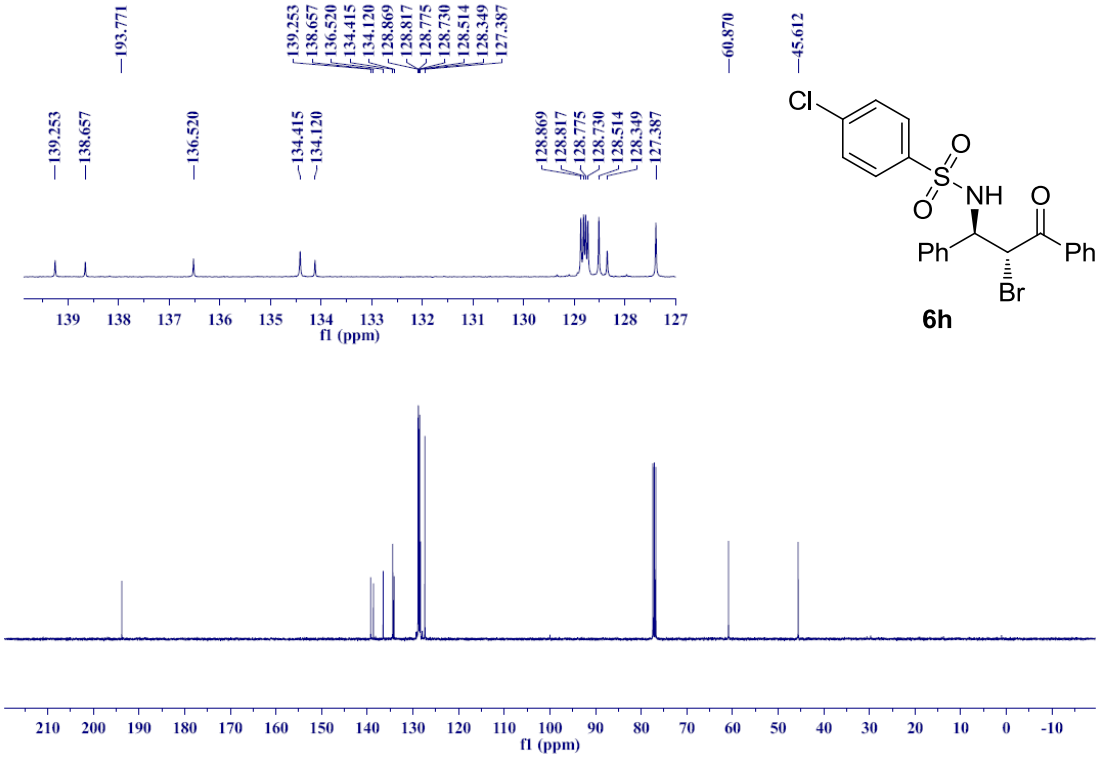
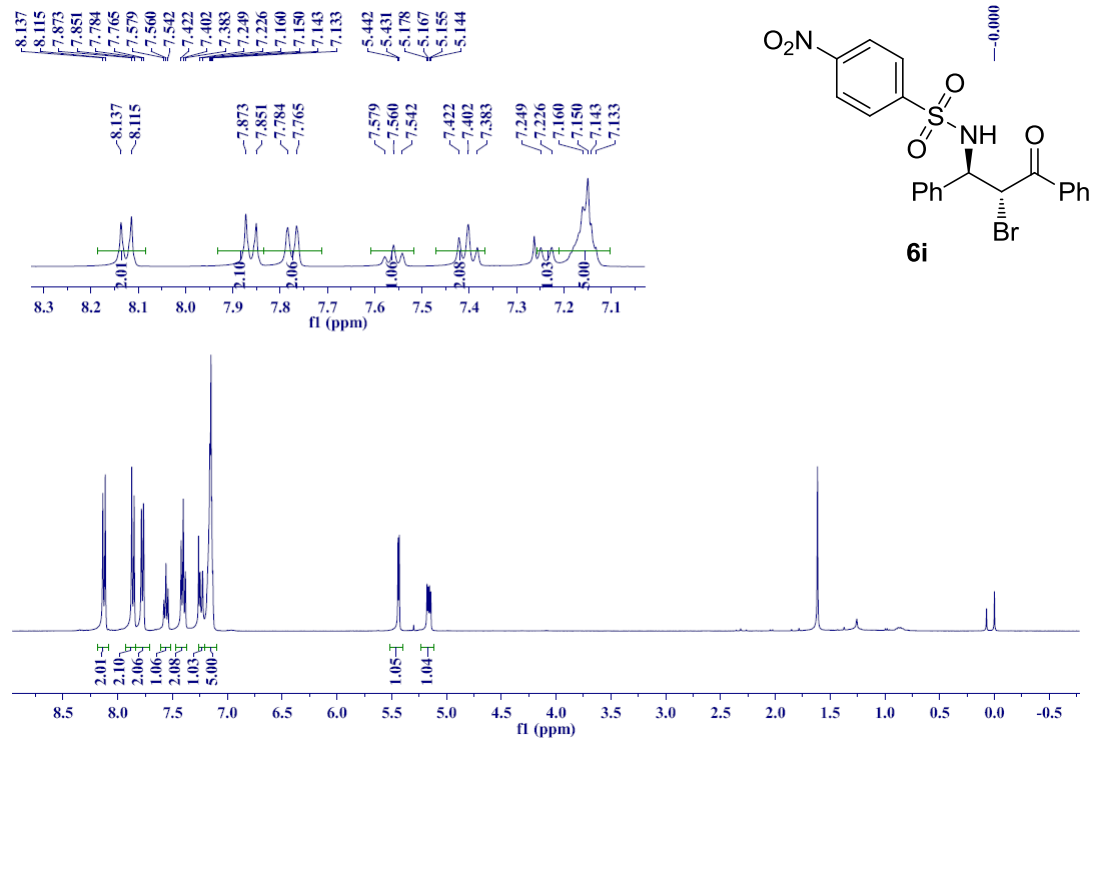
136.615
134.342
134.216
132.293
128.849
128.745
128.660
128.619
128.229
127.039
60.880
45.770
f1 (ppm)
O
S
O
NH
O
Ph
Ph
Br

6g


7.702
7.683
7.522
7.503
7.465
7.447
7.429
7.312
7.293
7.275
7.146
7.127
7.082
7.063
6.925
6.902
5.370
5.359
5.073
5.058
5.040
-0.080
2.00
2.00
1.04
2.10
7.13
1.04
1.00
1.03
f1 (ppm)
Cl
O
S
O
NH
O
Ph
Ph
Br

6h


193.771
139.253
138.657
136.520
134.415
134.120
128.869
128.817
128.775
128.730
128.514
128.349
127.387
60.870
45.612
Cl
O
S
O
NH
O
Ph
Ph
Br
6h
f1 (ppm)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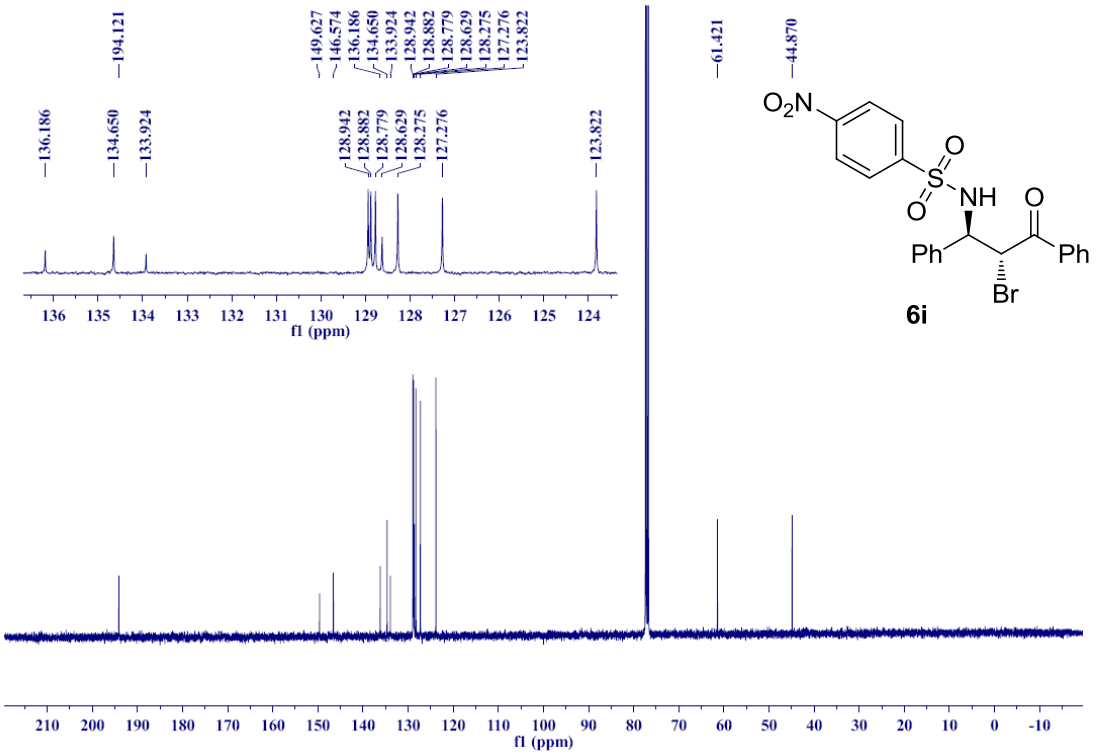
8.115
7.873
7.851
7.784
7.765
7.579
7.560
7.542
7.422
7.402
7.383
7.249
7.226
7.160
7.150
7.143
7.133
5.442
5.431
5.178
5.167
5.155
5.144
0.000
O2N
O
S
O
NH
O
Ph
Ph
Br
6i
2.01
2.10
2.06
1.06
2.08
1.03
5.00
1.05
1.04
f1 (ppm)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149.627
146.574
136.186
134.650
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128.942
128.882
128.779
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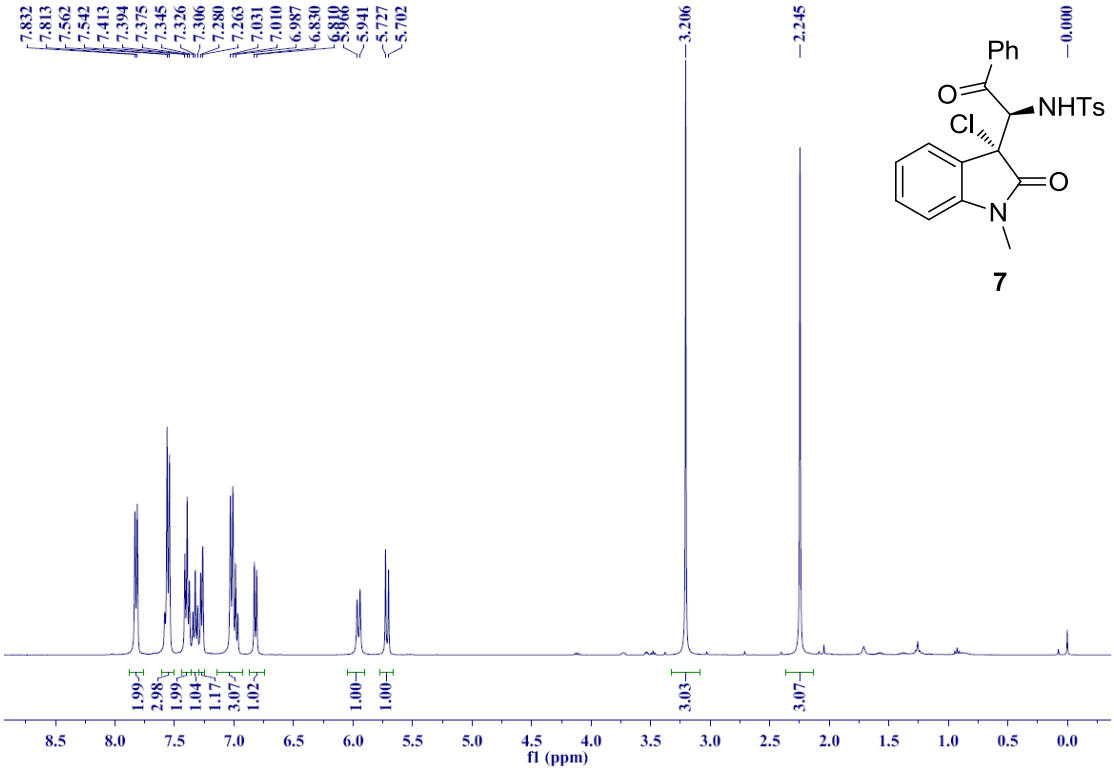
123.822
61.421
44.870
O2N
S
O
NH
Ph
Br
6i
fl (ppm)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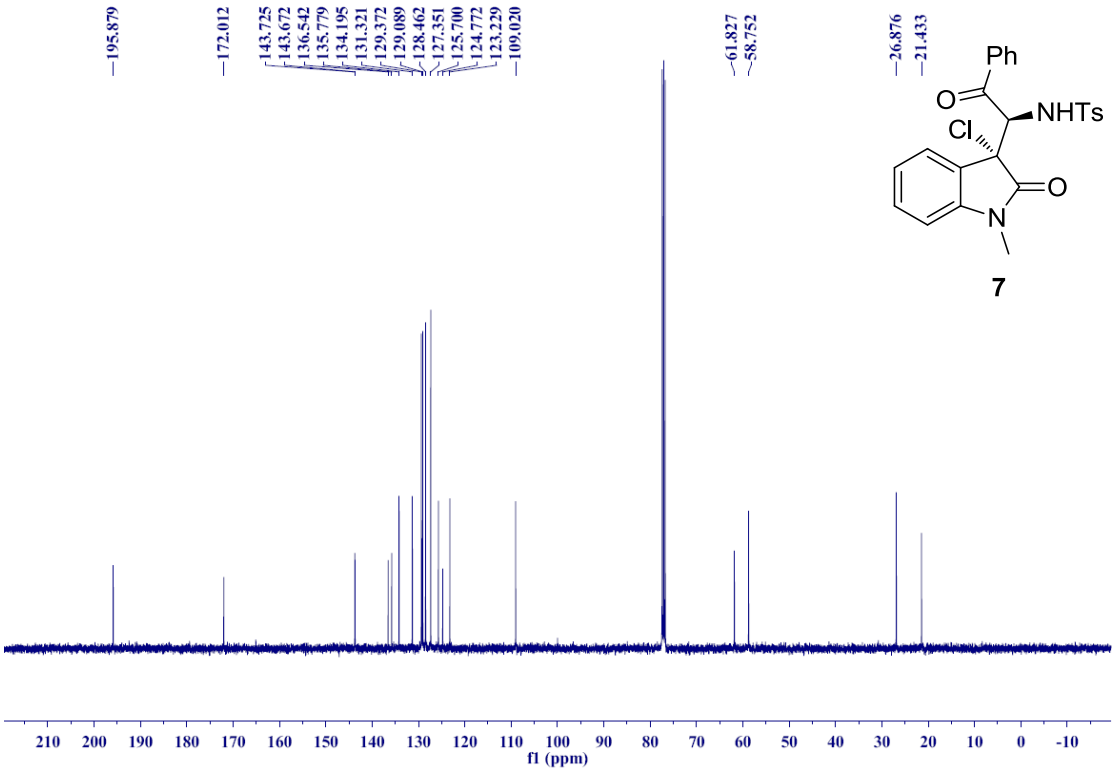
7.813
7.562
7.542
7.413
7.394
7.375
7.345
7.326
7.306
7.280
7.263
7.031
7.010
6.987
6.830
6.810
5.966
5.941
5.727
5.702
3.206
2.245
0.000
Ph
NHTs
Cl
N
7
1.99
2.98
1.99
1.04
1.17
3.07
1.02
1.00
1.00
3.03
3.07
fl (ppm)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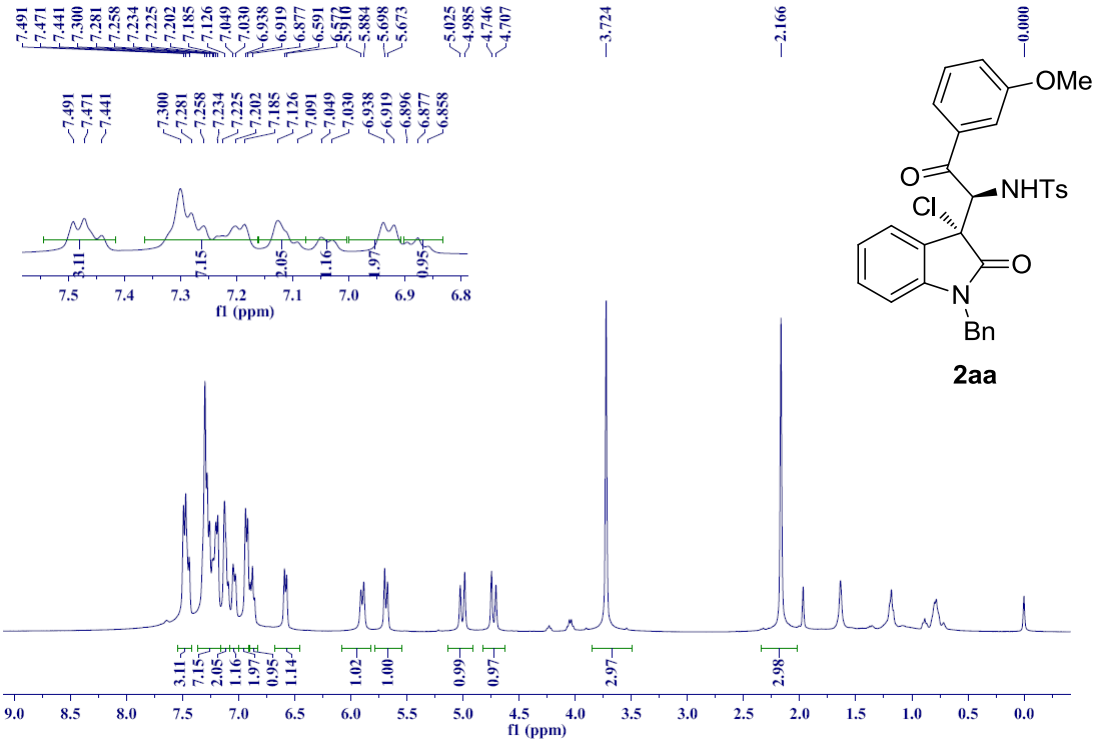
135.779
134.195
131.321
129.372
129.089
128.462
127.351
125.700
124.772
123.229
109.020
61.827
58.752
26.876
21.433
Ph
O
NHTs
Cl
O
N
7
f1 (ppm)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7.471
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7.300
7.281
7.258
7.234
7.225
7.202
7.185
7.126
7.049
7.030
6.938
6.919
6.877
6.591
5.884
5.698
5.673
5.025
4.985
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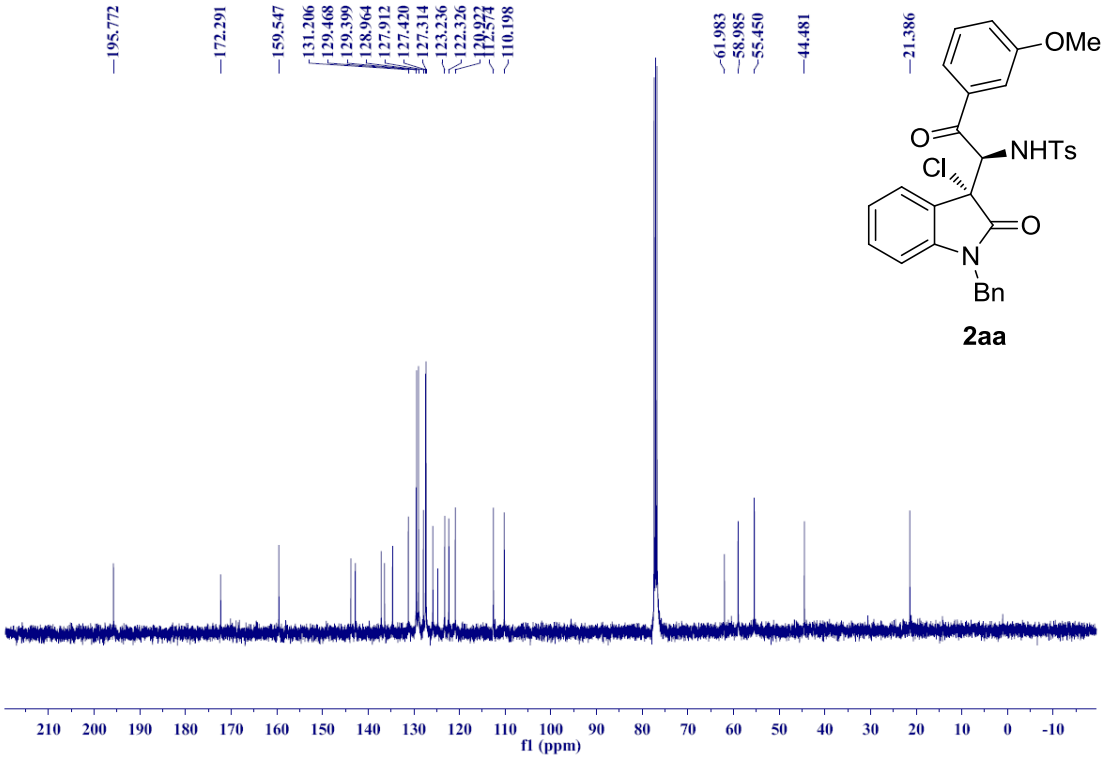
NHTs
Cl
O
N
Bn
2aa
f1 (ppm)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159.547
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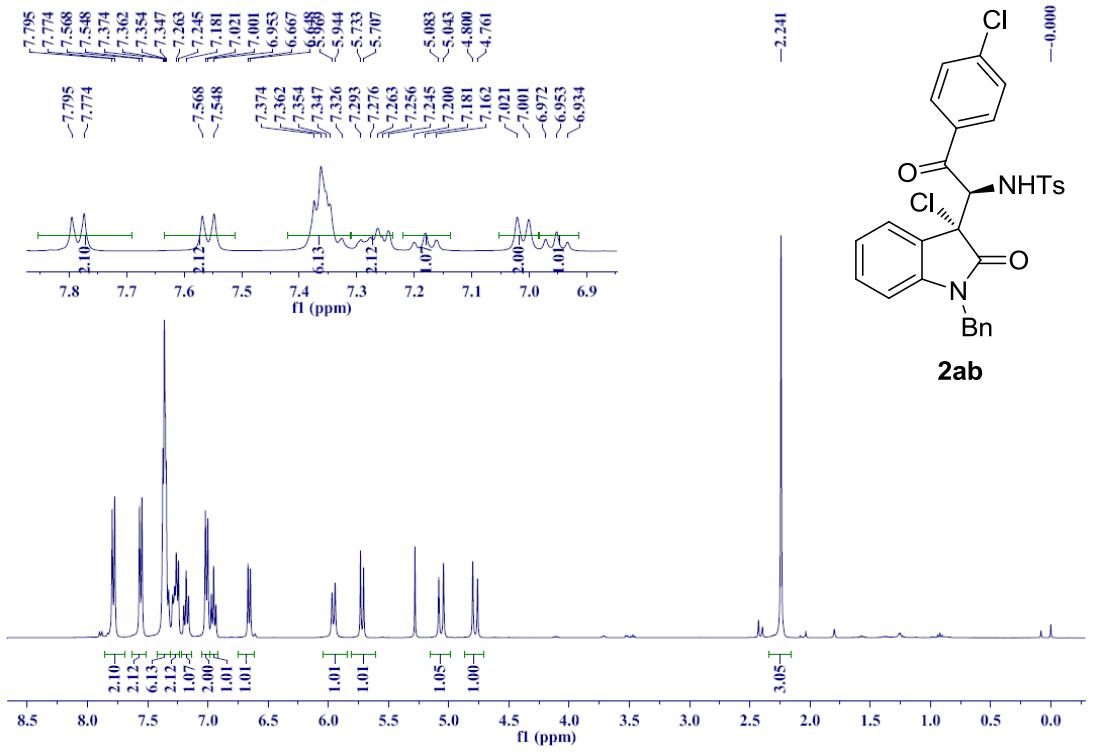
129.399
128.964
127.912
127.420
127.314
123.236
122.326
120.922
112.574
110.198
61.983
58.985
55.450
44.481
21.386
OMe
O
NHTs
Cl
O
N
Bn
2aa
fl (ppm)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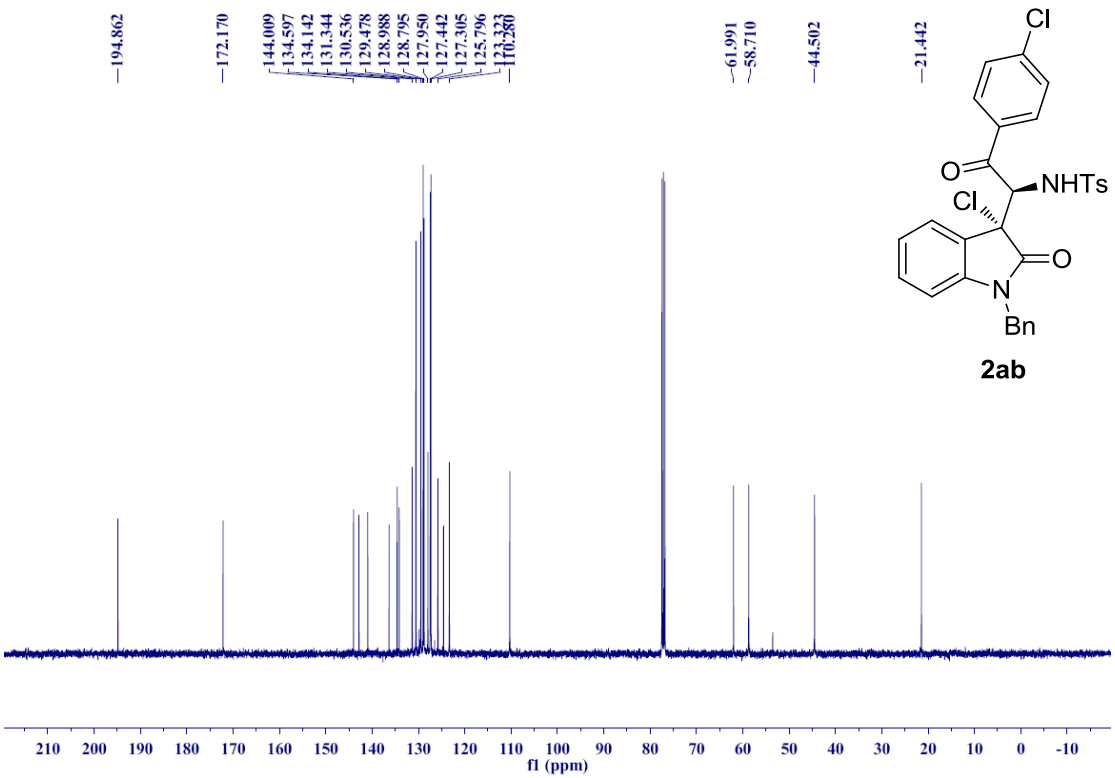
7.774
7.568
7.548
7.374
7.362
7.354
7.347
7.263
7.245
7.181
7.021
7.001
6.953
6.667
5.944
5.733
5.707
5.083
5.043
4.800
4.761
2.241
-0.000
Cl
O
NHTs
Cl
O
N
Bn
2ab
fl (ppm)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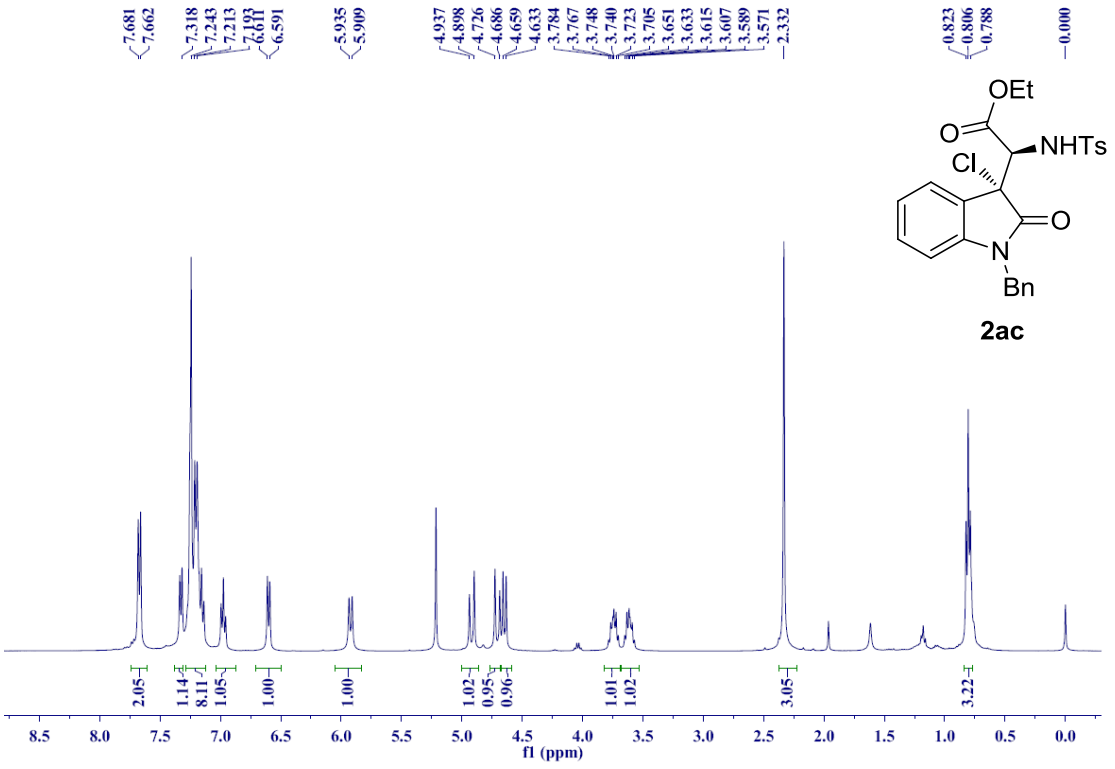
134.142
131.344
130.536
129.478
128.988
128.795
127.950
127.442
127.305
125.796
123.323
110.280
61.991
58.710
44.502
21.442
Cl
O
NHTs
Cl
O
N
Bn
2ab
fl (ppm)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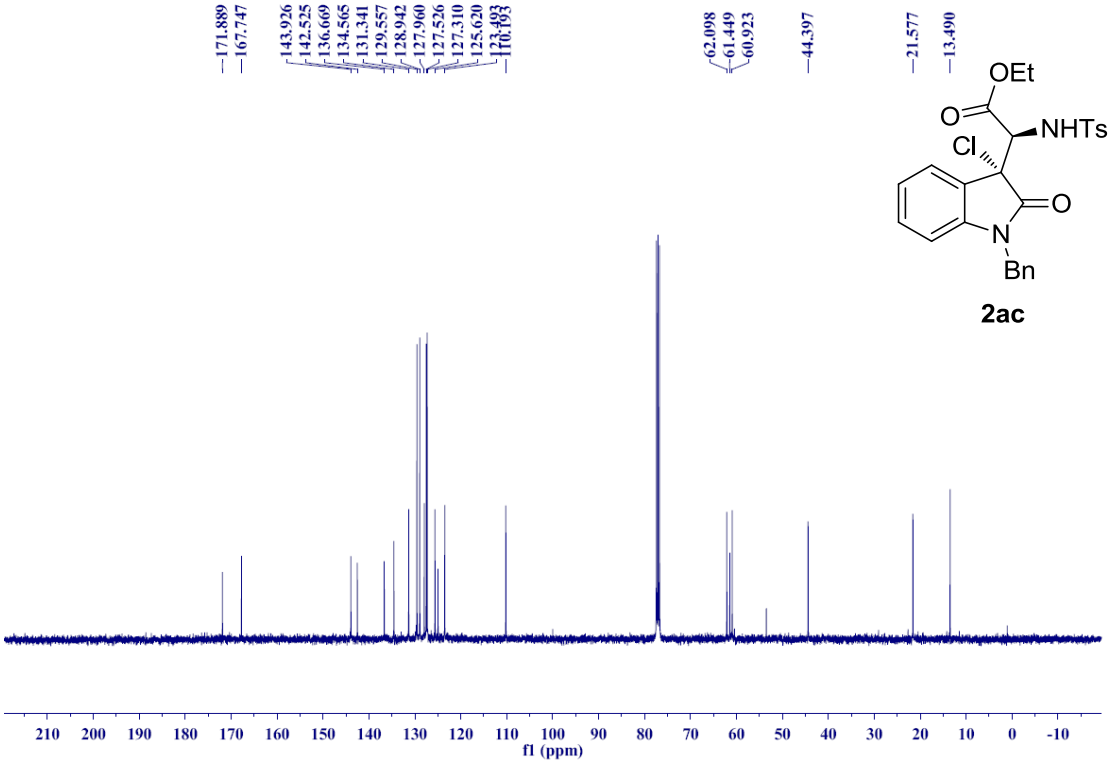
7.662
7.318
7.243
7.213
7.193
6.611
6.591
5.935
5.909
4.937
4.898
4.726
4.686
4.659
4.633
3.784
3.767
3.748
3.740
3.723
3.705
3.651
3.633
3.615
3.607
3.589
3.571
2.332
0.823
0.806
0.788
0.000
OEt
O
NHTs
Cl
O
N
Bn
2ac
2.05
1.14
8.11
1.05
1.00
1.00
1.02
0.95
0.96
1.01
1.02
3.05
3.22
fl (ppm)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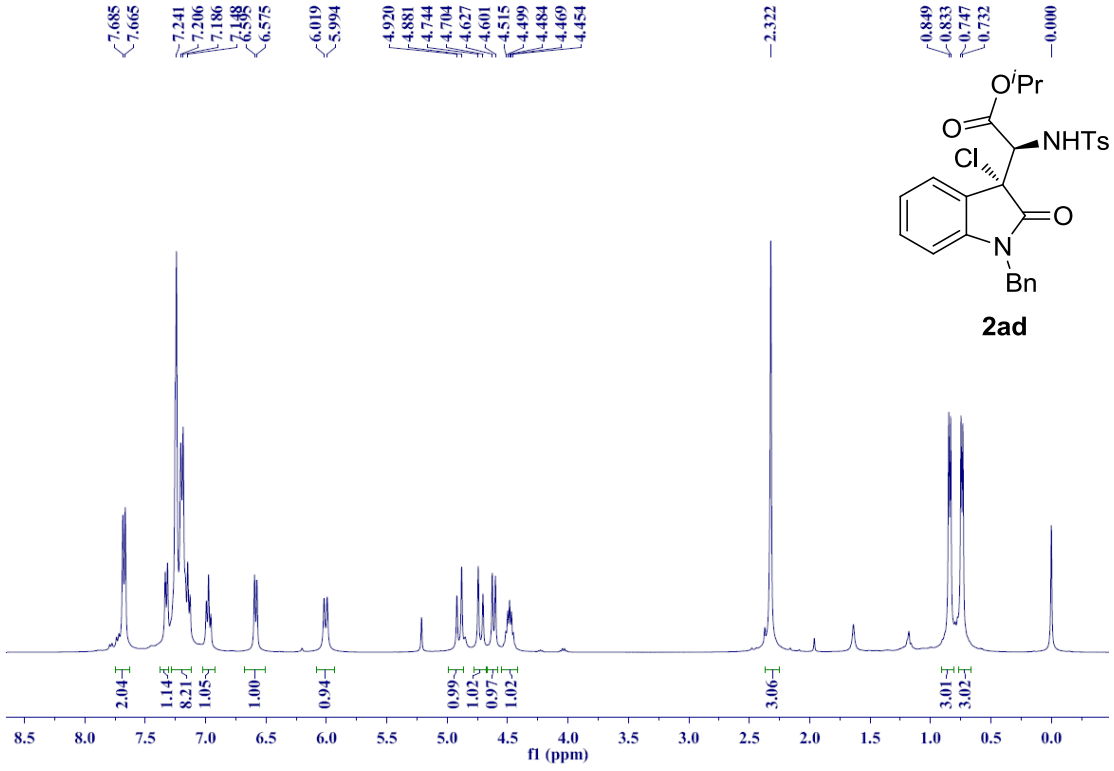
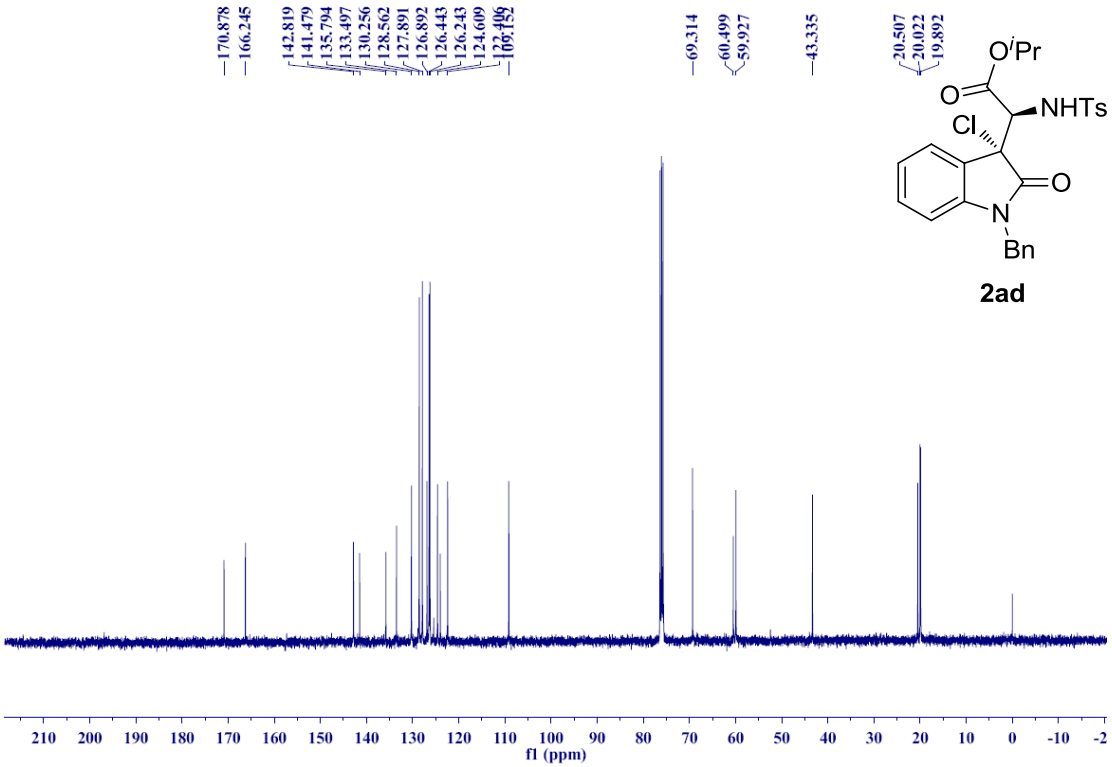
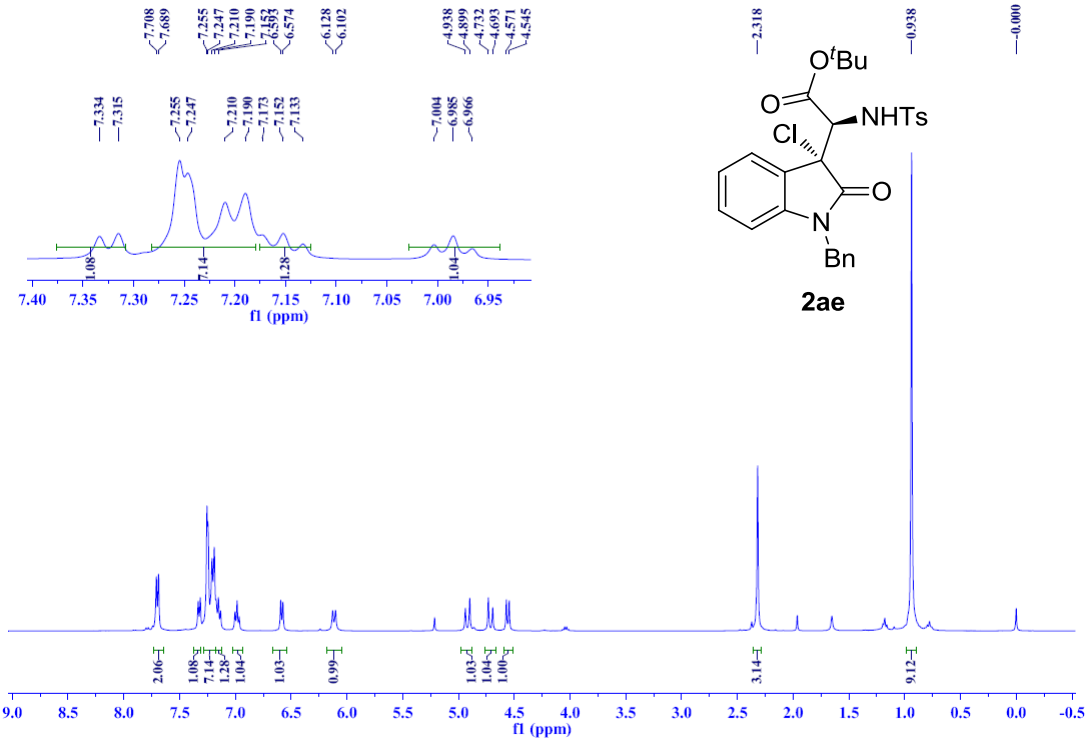
167.747
143.926
142.525
136.669
134.565
131.341
129.557
128.942
127.960
127.526
127.310
125.620
123.493
110.193
62.098
61.449
60.923
44.397
21.577
13.490
OEt
O
NHTs
Cl
O
N
Bn
2ac
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.685
7.665
7.241
7.206
7.186
6.575
6.019
5.994
4.920
4.881
4.744
4.704
4.627
4.601
4.515
4.499
4.484
4.469
4.454
2.322
0.849
0.833
0.747
0.732
0.000
O^{i}Pr
O
NHTs
Cl
O
N
Bn
2ad
2.04
1.14
8.21
1.05
1.00
0.94
0.99
1.02
0.97
1.02
3.06
3.01
3.02
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)


170.878
166.245
142.819
141.479
135.794
133.497
130.256
128.562
127.891
126.892
126.443
126.243
124.609
69.314
60.499
59.927
43.335
20.507
20.022
19.892
O^{i}Pr
O
NHTs
Cl
O
N
Bn
2ad
fl (ppm)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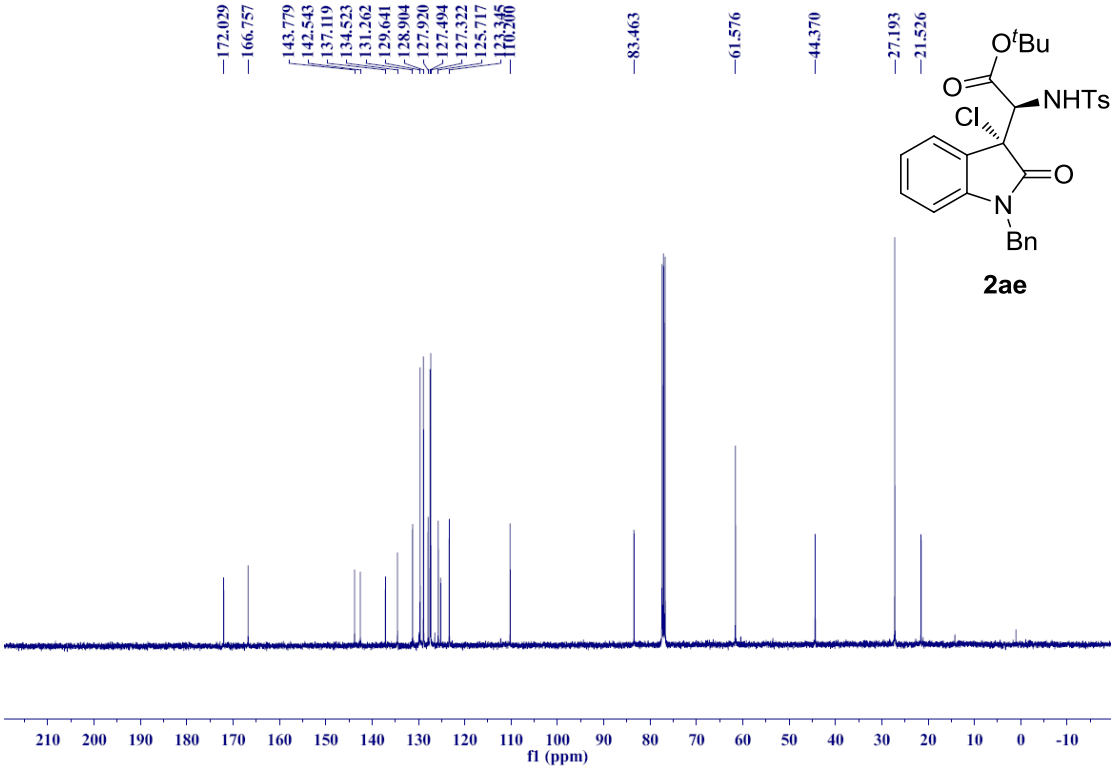
7.247
7.210
7.190
7.152
6.593
6.574
6.128
6.102
4.938
4.899
4.732
4.693
4.571
4.545
2.318
0.938
0.000
7.334
7.315
7.255
7.247
7.210
7.190
7.173
7.152
7.133
7.004
6.985
6.966
1.08
7.14
1.28
1.04
O^{t}Bu
O
NHTs
Cl
O
N
Bn
2ae
2.06
1.08
7.14
1.28
1.04
1.03
0.99
1.03
1.04
1.00
3.14
9.12
fl (ppm)


172.029
166.757
143.779
142.543
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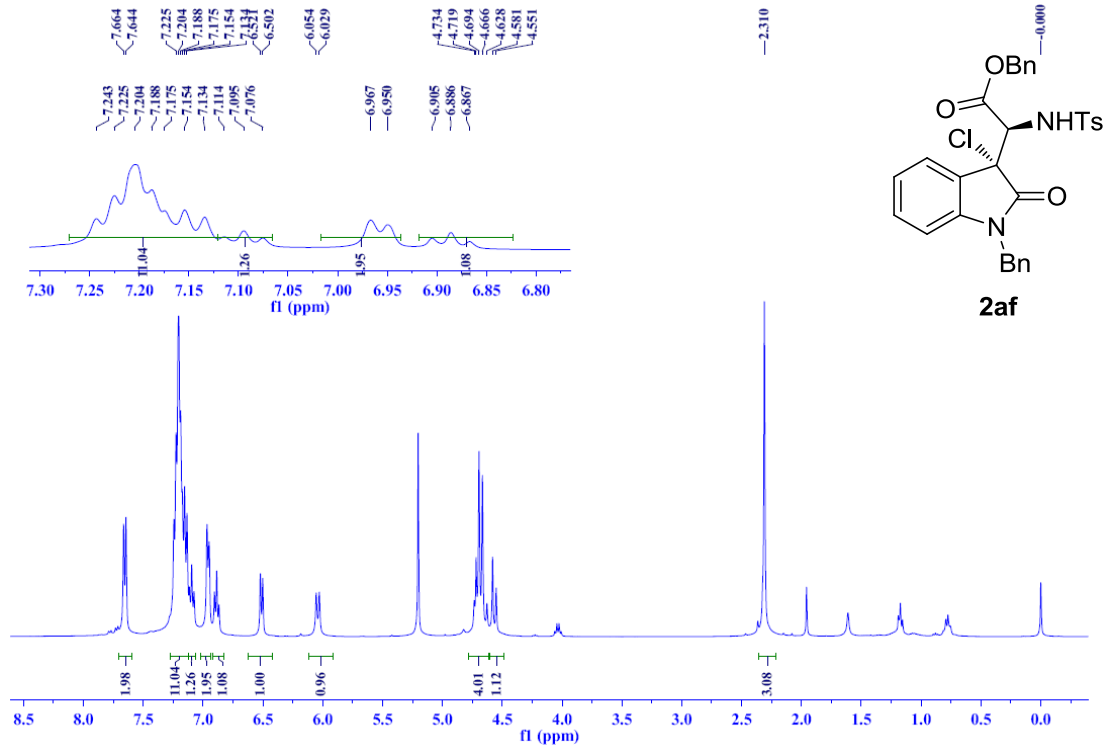
134.523
131.262
129.641
128.904
127.920
127.494
127.322
125.717
83.463
61.576
44.370
27.193
21.526
O^{t}Bu
O
NHTs
Cl
O
N
Bn
2ae
f1 (ppm)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7.188
7.175
7.154
7.131
6.502
6.054
6.029
4.734
4.719
4.694
4.666
4.628
4.581
4.551
7.243
7.225
7.204
7.188
7.175
7.154
7.134
7.114
7.095
7.076
6.967
6.950
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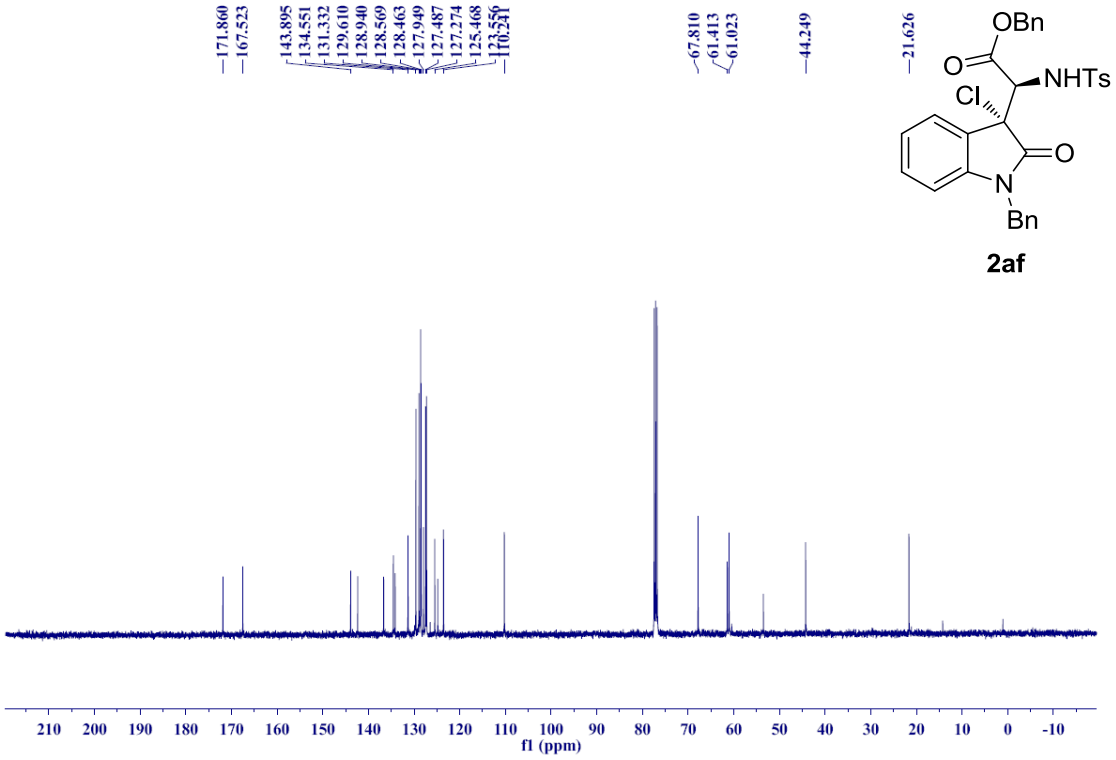
6.867
2.310
0.000
OBn
O
NHTs
Cl
O
N
Bn
2af
f1 (ppm)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128.940
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128.463
127.949
127.487
127.274
125.468
73.556
110.241
67.810
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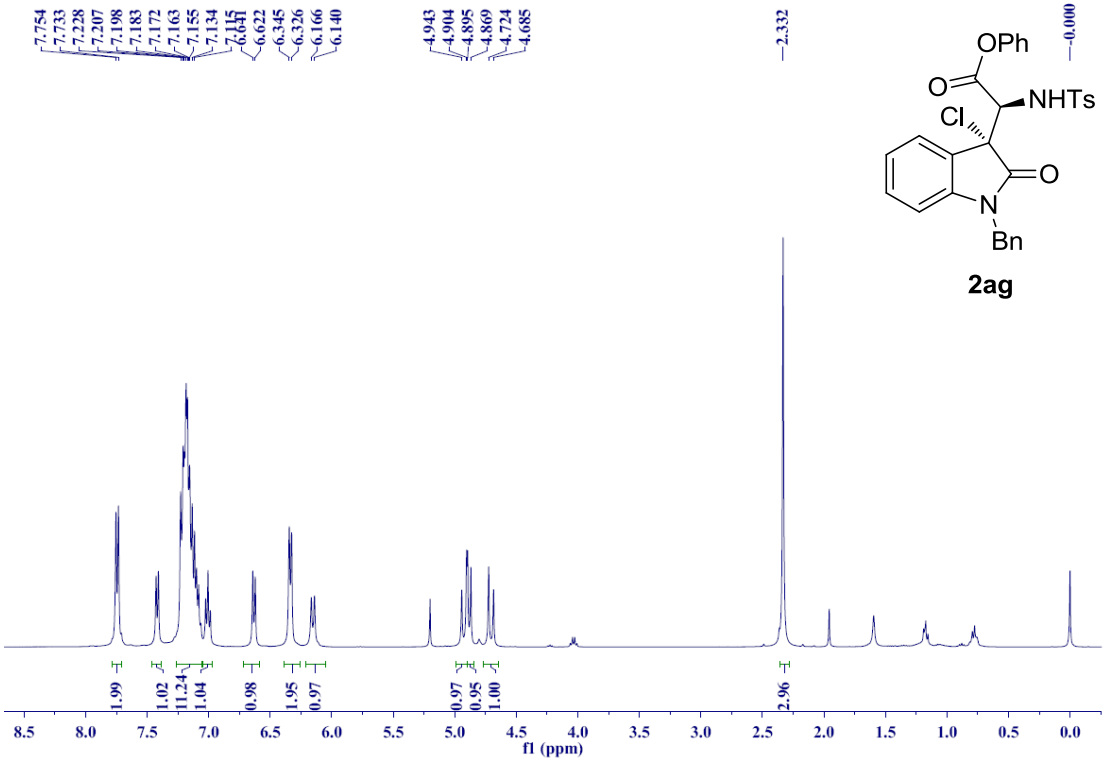
61.023
44.249
21.626
OBn
O
NHTs
Cl
O
N
Bn
2af
fl (ppm)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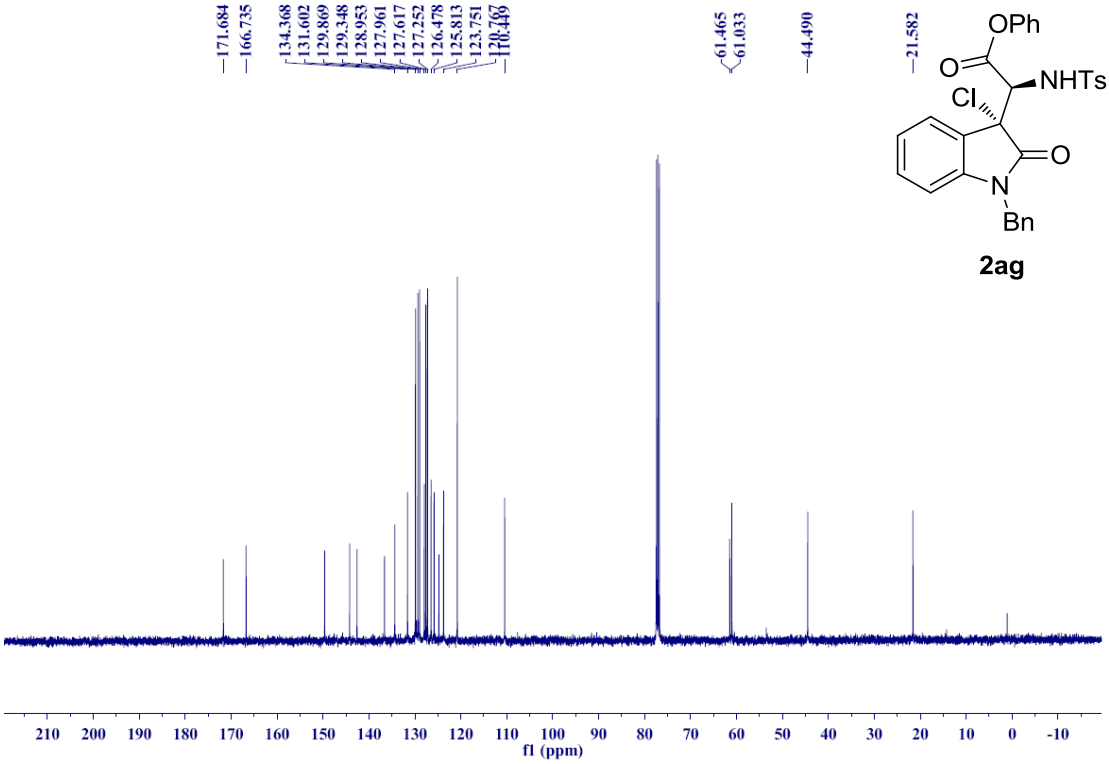
7.228
7.207
7.198
7.183
7.172
7.163
7.155
7.134
6.622
6.345
6.326
6.166
6.140
4.943
4.904
4.895
4.869
4.724
4.685
2.332
0.000
OPh
O
NHTs
Cl
O
N
Bn
2ag
1.99
1.02
11.24
1.04
0.98
1.95
0.97
0.97
0.95
1.00
2.96
fl (ppm)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127.961
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127.252
126.478
125.813
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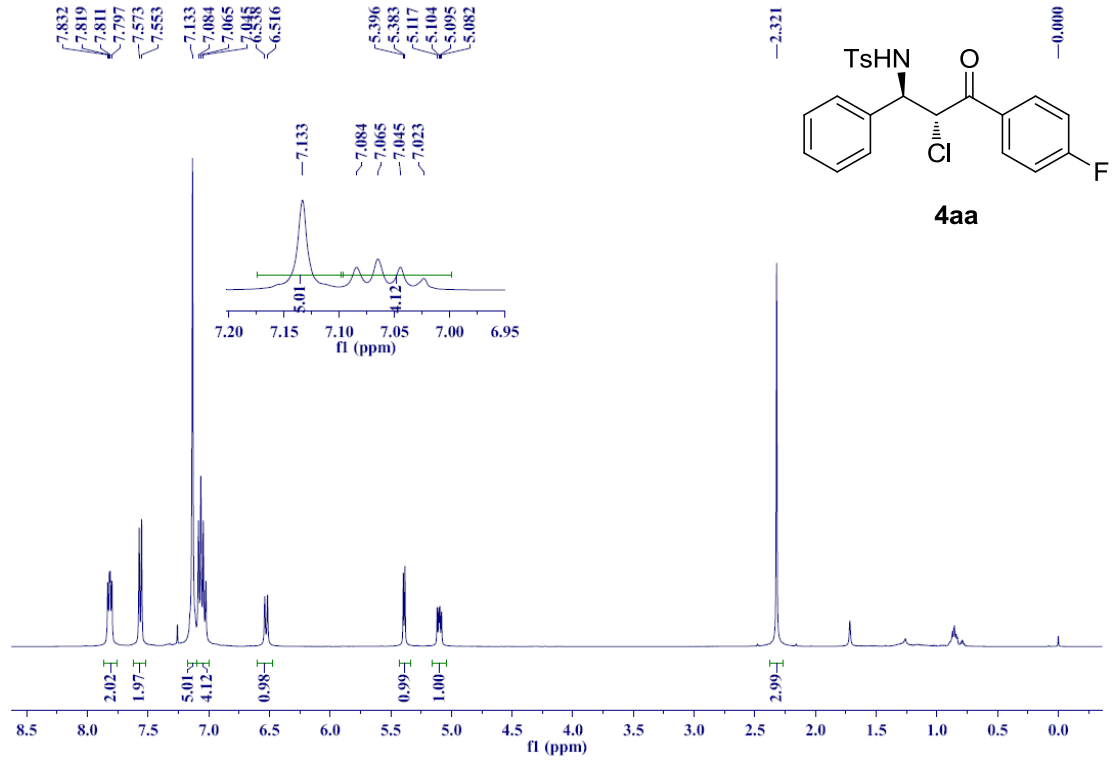
44.490
21.582
OPh
O
NHTs
Cl
O
N
Bn
2ag
f1 (ppm)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7.811
7.797
7.573
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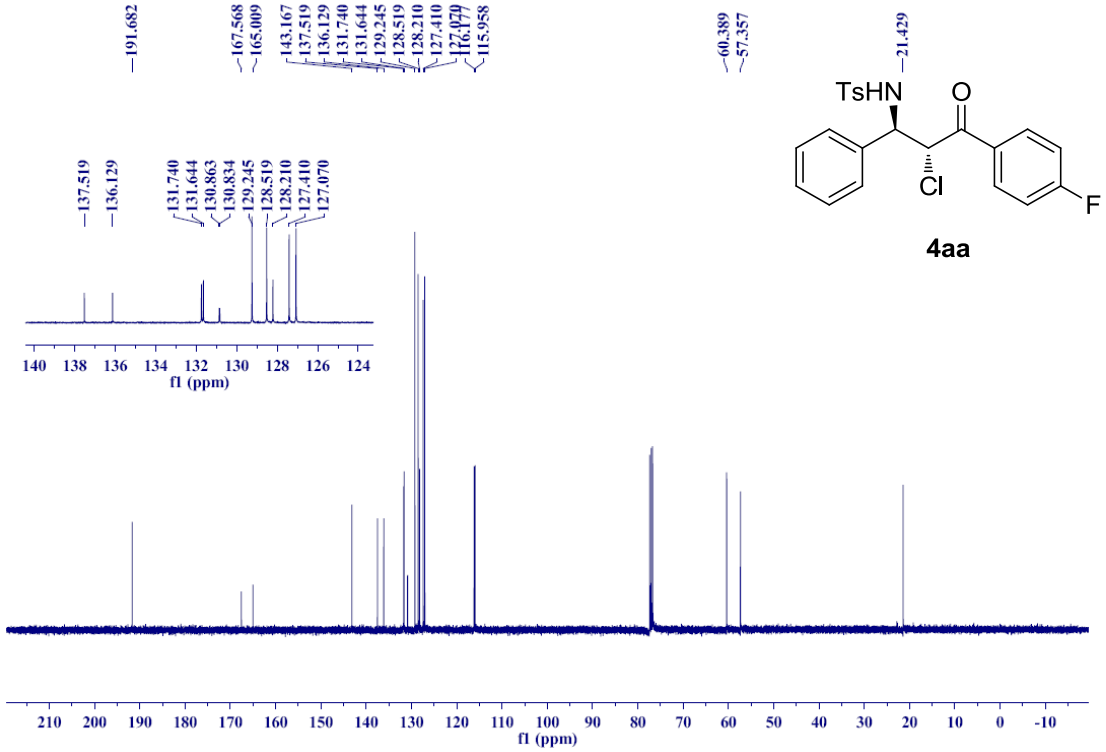
7.133
7.084
7.065
7.045
6.538
6.516
5.396
5.383
5.117
5.104
5.095
5.082
2.321
0.000
TsHN
O
Cl
F
4aa
7.133
7.084
7.065
7.045
7.023
5.01
4.12
2.02
1.97
5.01
4.12
0.98
0.99
1.00
2.99
f1 (ppm)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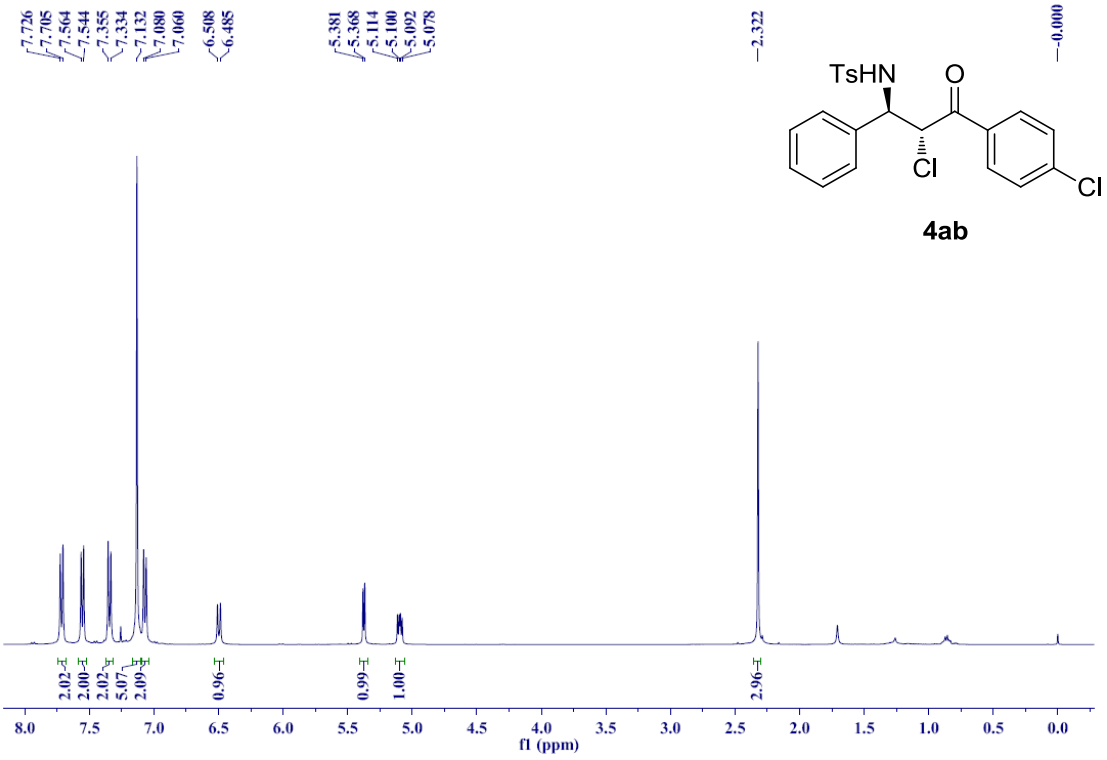
136.129
131.740
131.644
129.245
128.519
128.210
127.410
127.070
115.958
60.389
57.357
21.429
TsHN
O
Cl
F
4aa
137.519
136.129
131.740
131.644
130.863
130.834
129.245
128.519
128.210
127.410
127.070
140 138 136 134 132 130 128 126 124
f1 (ppm)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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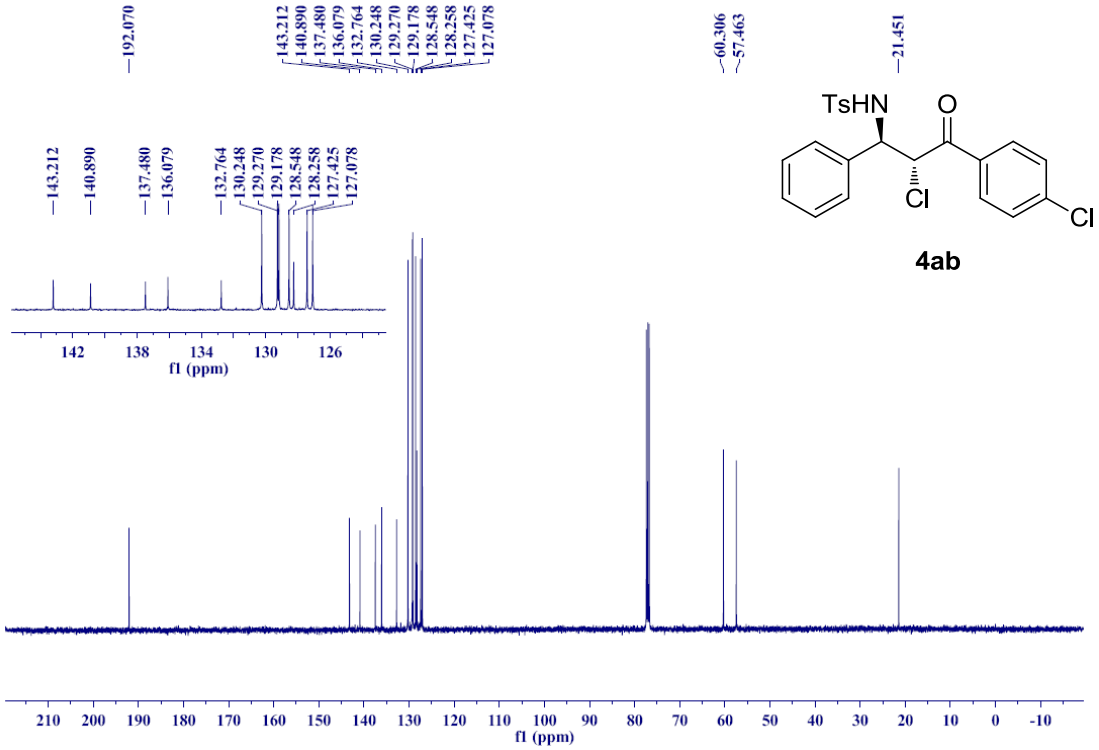
7.544
7.355
7.334
7.132
7.080
7.060
6.508
6.485
5.381
5.368
5.114
5.100
5.092
5.078
2.322
0.000
TsHN
O
Cl
Cl
4ab
2.02
2.00
2.02
5.07
2.09
0.96
0.99
1.00
2.96
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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130.248
129.270
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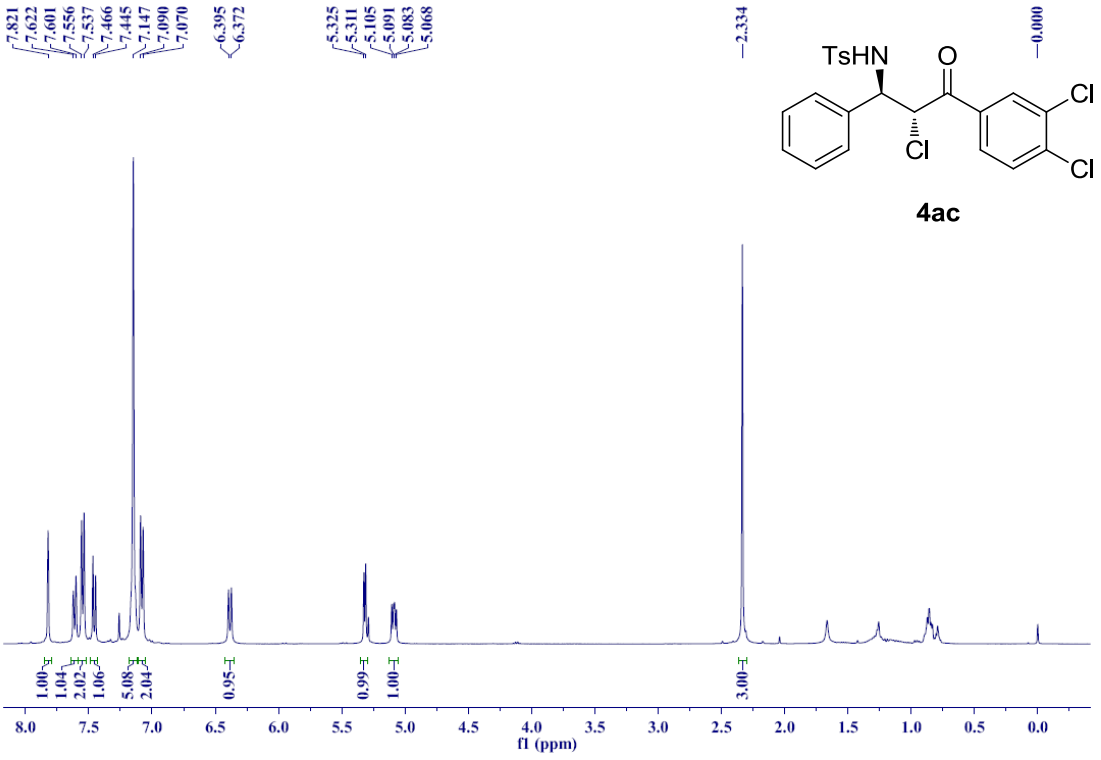
127.425
127.078
60.306
57.463
21.451
TsHN
O
Cl
Cl
4ab
f1 (ppm)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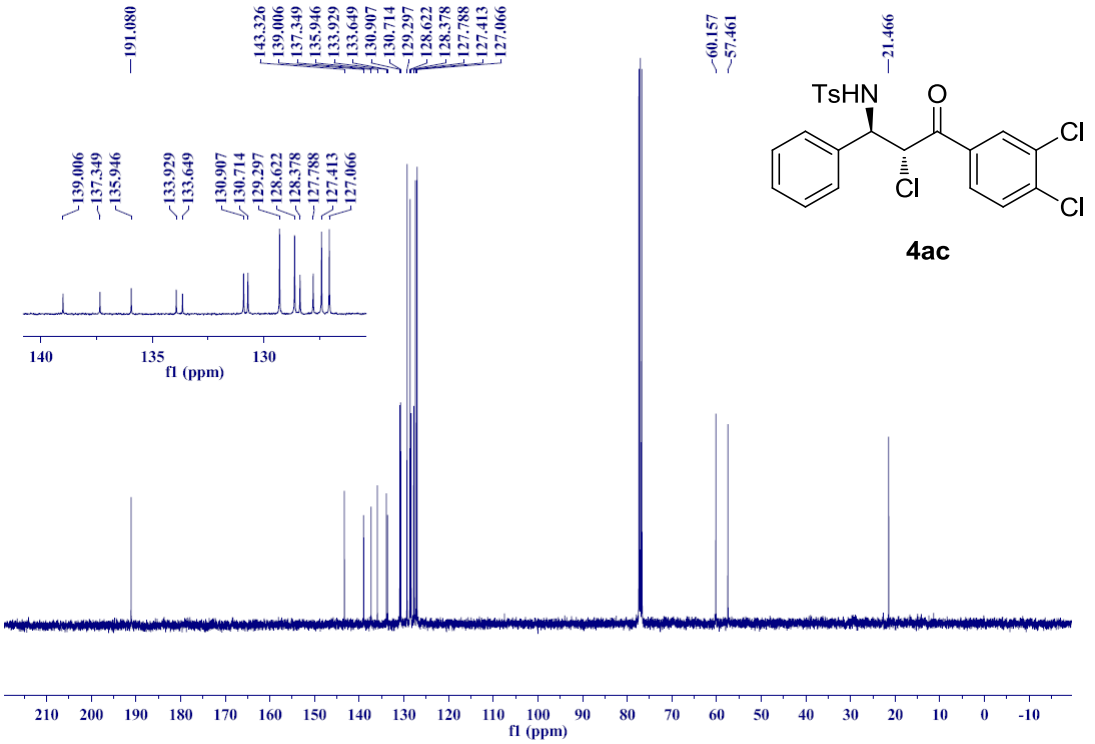
7.601
7.556
7.537
7.466
7.445
7.147
7.090
7.070
6.395
6.372
5.325
5.311
5.105
5.091
5.083
5.068
2.334
0.000
TsHN
O
Cl
Cl
Cl
4ac
1.00
1.04
2.02
1.06
5.08
2.04
0.95
0.99
1.00
3.00
f1 (ppm)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133.929
133.649
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130.714
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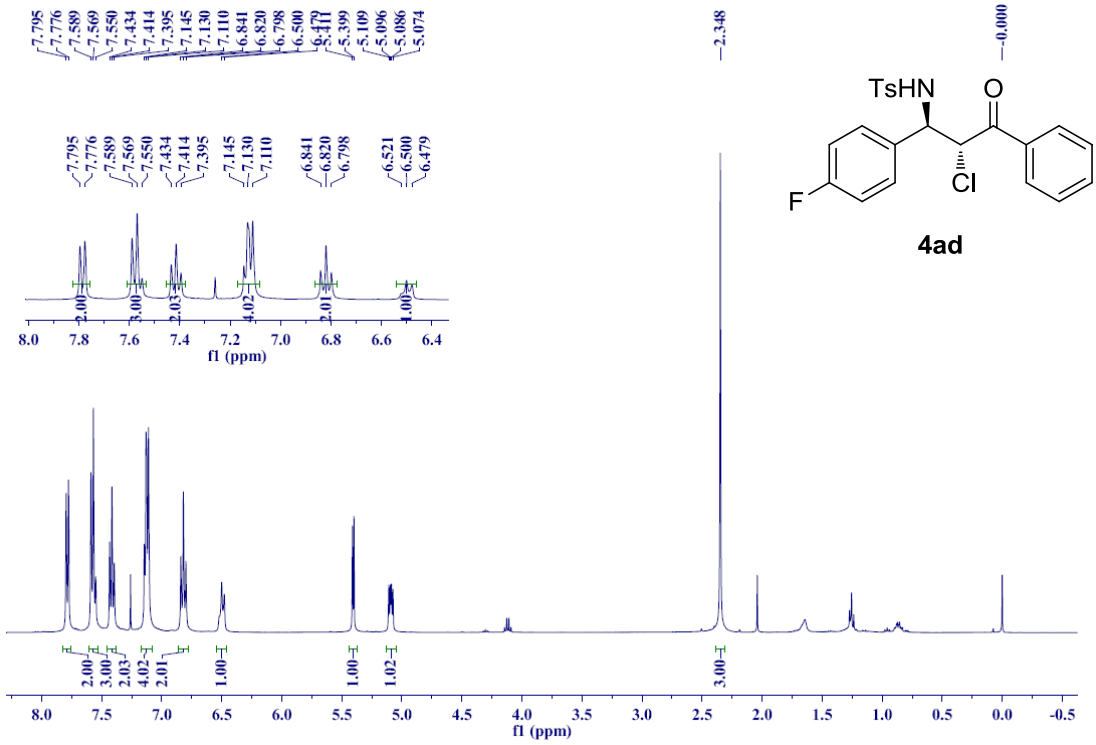
127.066
60.157
57.461
21.466
TsHN
O
Cl
Cl
Cl
4ac
fl (ppm)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7.395
7.145
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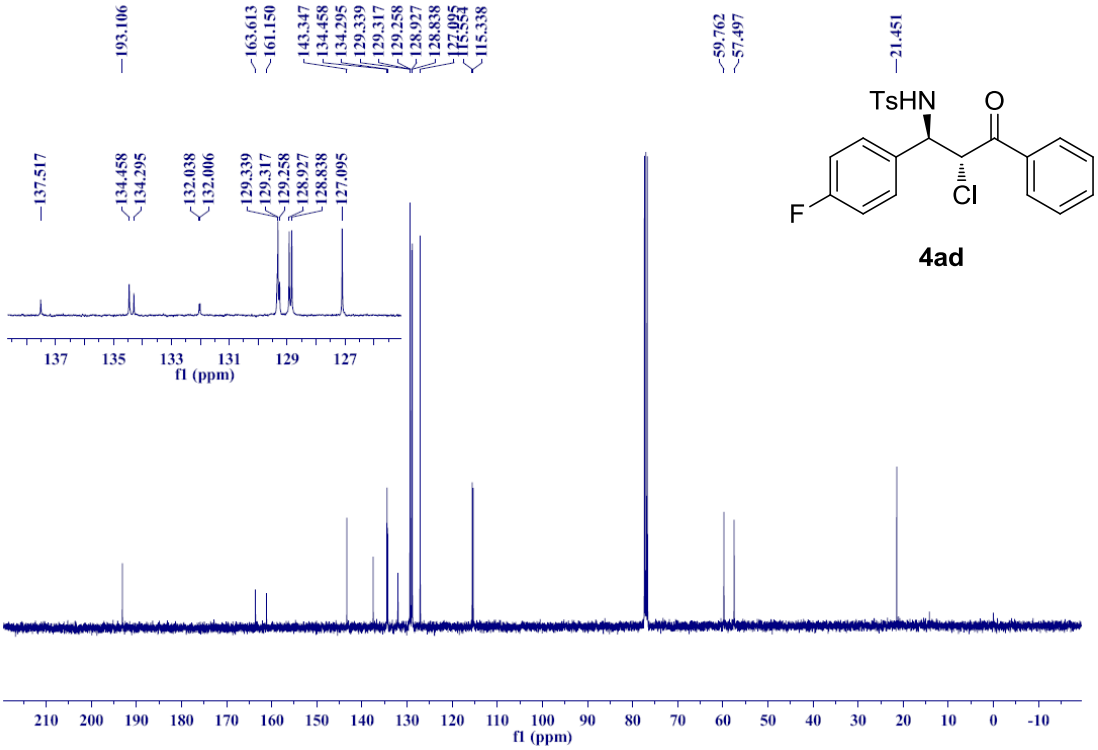
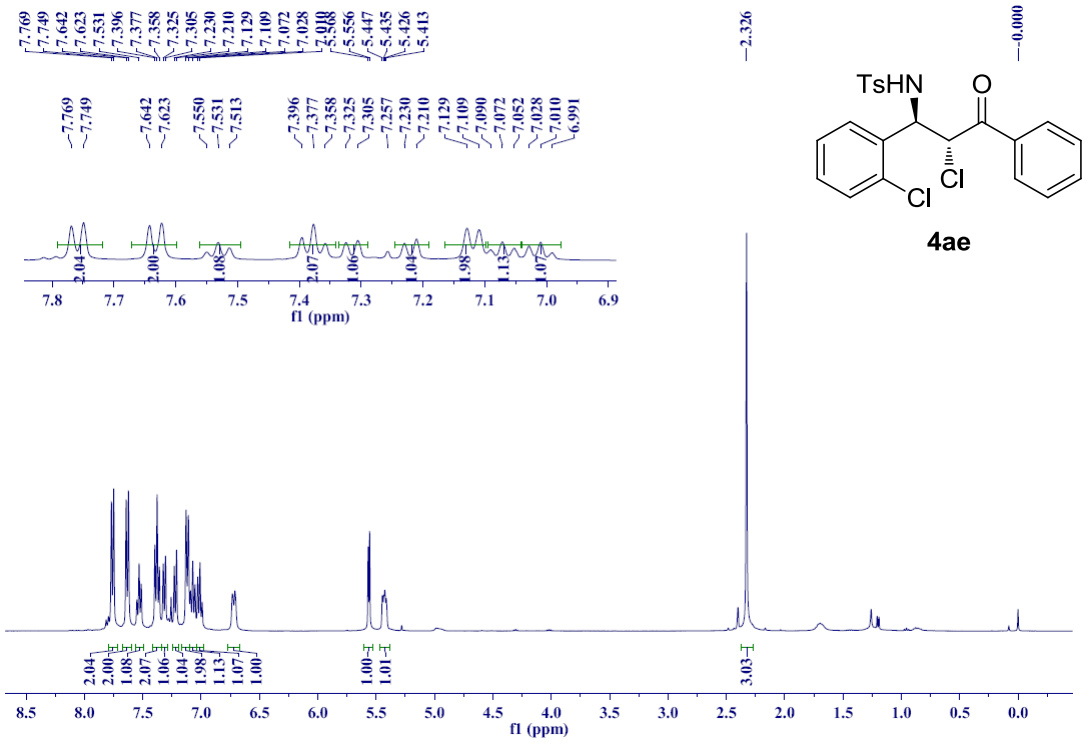
7.110
6.841
6.820
6.798
6.521
6.500
6.479
5.399
5.109
5.096
5.086
5.074
2.348
0.000
TsHN
O
Cl
F
4ad
2.00
3.00
2.03
4.02
2.01
1.00
1.00
1.02
3.00
fl (ppm)


193.106
163.613
161.150
143.347
134.458
134.295
129.339
129.317
129.258
128.927
128.838
127.095
115.338
59.762
57.497
21.451
137.517
134.458
134.295
132.038
132.006
129.339
129.317
129.258
128.927
128.838
127.095
137
135
133
131
129
127
f1 (ppm)
TsHN
O
Cl
F
4ad
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)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7.129
7.109
7.072
7.028
7.010
5.568
5.556
5.447
5.435
5.426
5.413
2.326
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7.749
7.642
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7.109
7.090
7.072
7.052
7.028
7.010
6.991
2.04
2.00
1.08
2.07
1.06
1.04
1.98
1.13
1.07
7.8
7.7
7.6
7.5
7.4
7.3
7.2
7.1
7.0
6.9
f1 (ppm)
TsHN
O
Cl
Cl
4ae
2.04
2.00
1.08
2.07
1.06
1.04
1.98
1.13
1.07
1.00
1.00
1.01
3.03
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
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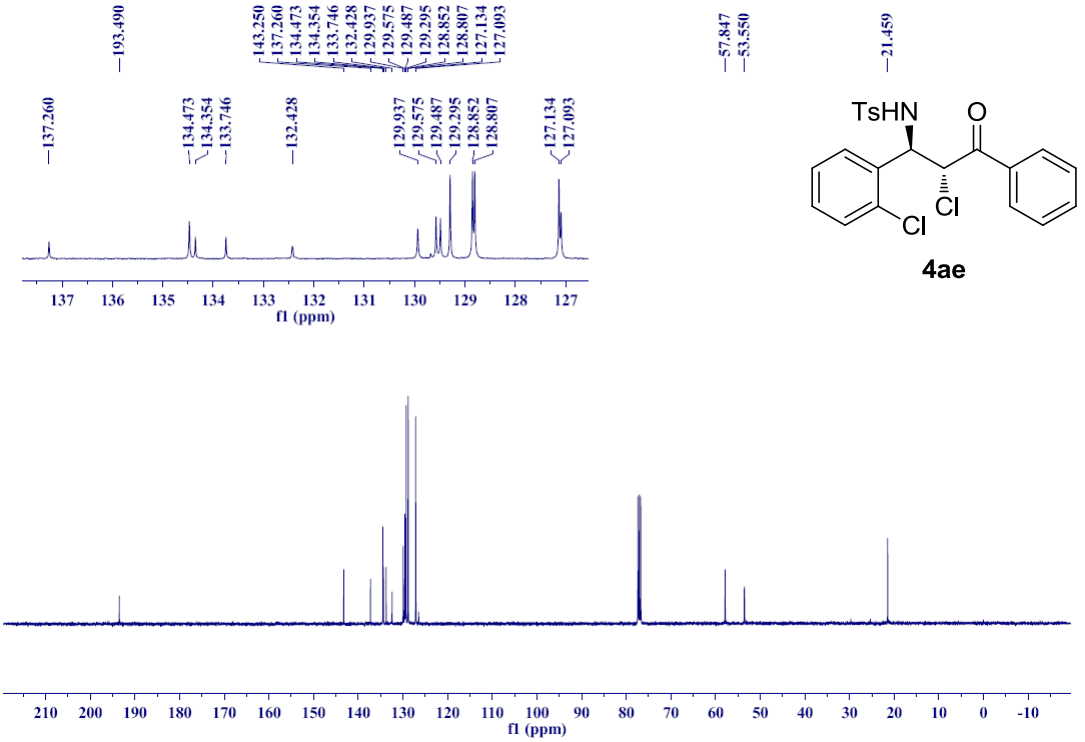
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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133.746
132.428
129.937
129.575
129.487
129.295
128.852
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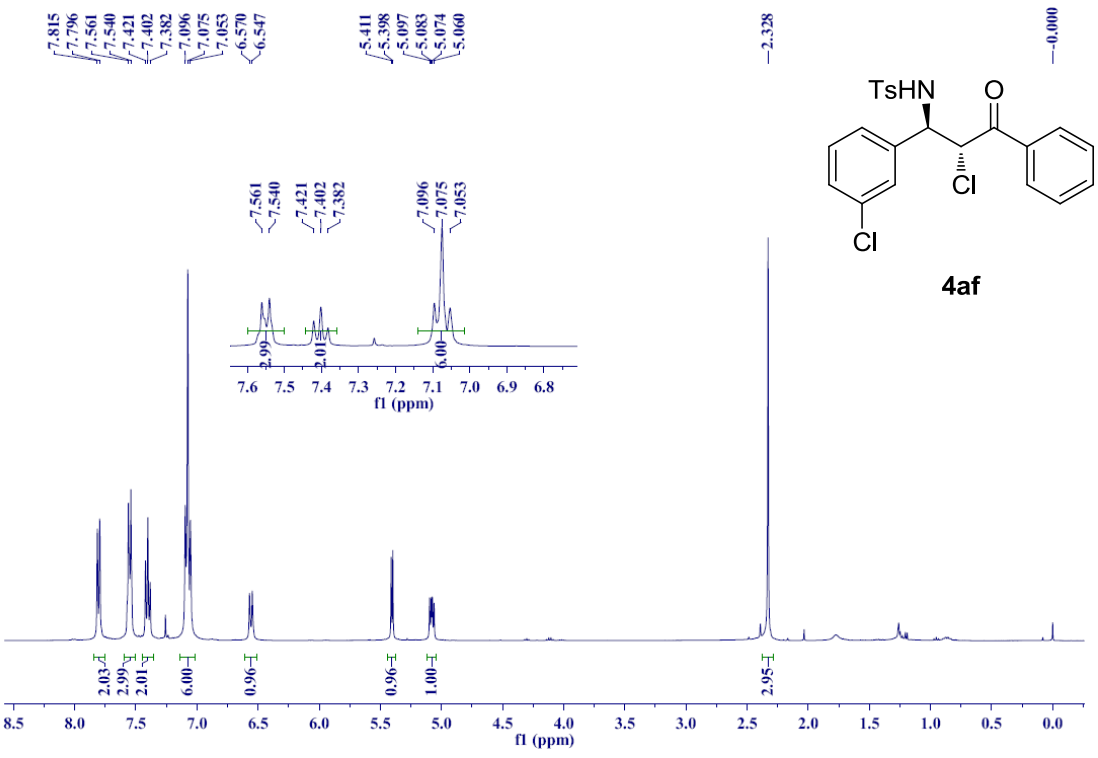
127.134
127.093
57.847
53.550
21.459
TsHN
O
Cl
Cl
4ae
fl (ppm)

fl (ppm)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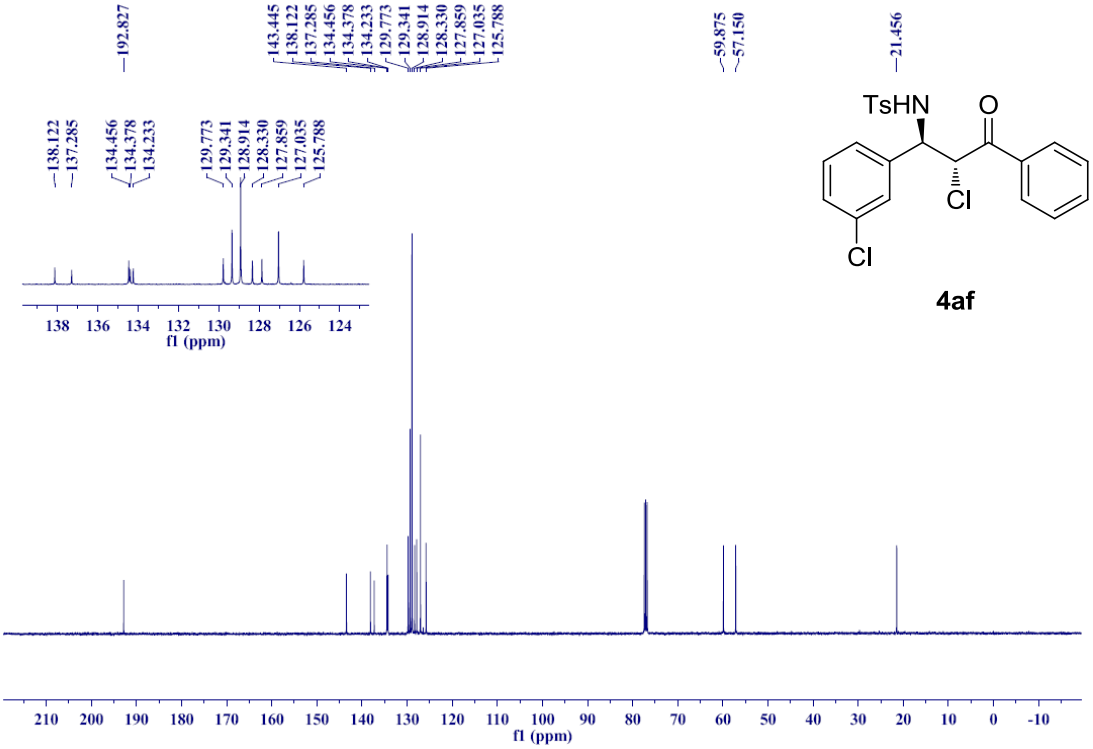
7.796
7.561
7.540
7.421
7.402
7.382
7.096
7.075
7.053
6.570
6.547
5.411
5.398
5.097
5.083
5.074
5.060
2.328
0.000
TsHN
O
Cl
Cl
4af
2.03
2.99
2.01
6.00
0.96
0.96
1.00
2.95
fl (ppm)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138.122
137.285
134.456
134.378
134.233
129.773
129.341
128.914
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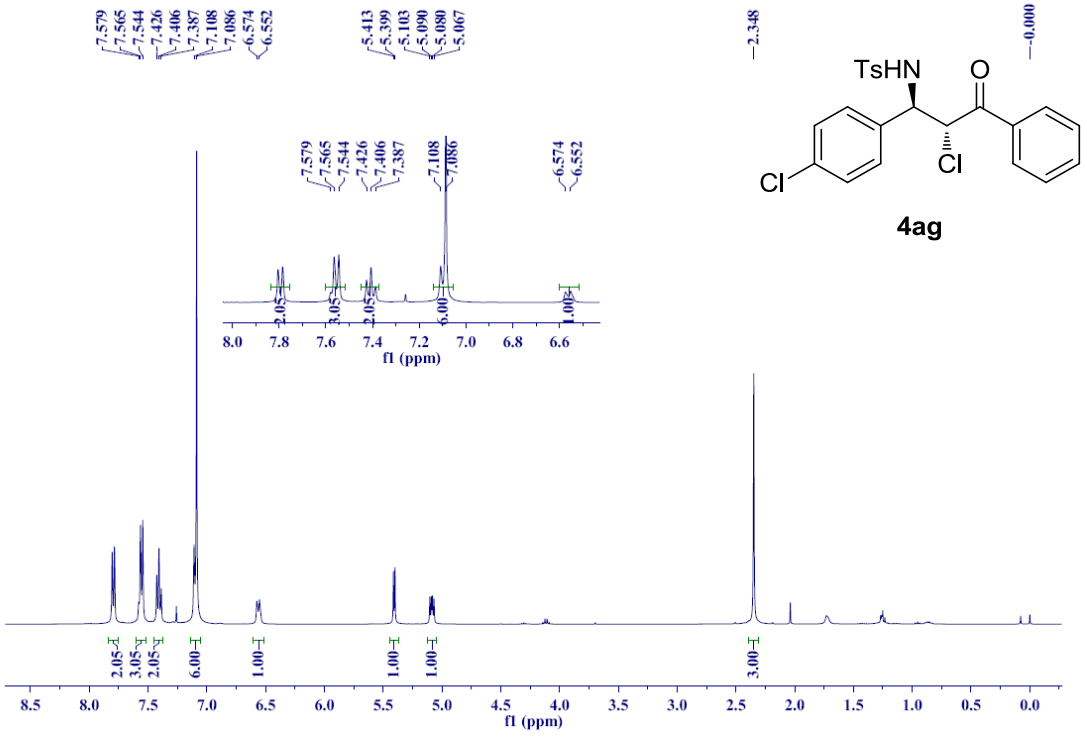
127.035
125.788
59.875
57.150
21.456
TsHN
O
Cl
Cl
4af
fl (ppm)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7.544
7.426
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7.387
7.108
7.086
6.574
6.552
5.413
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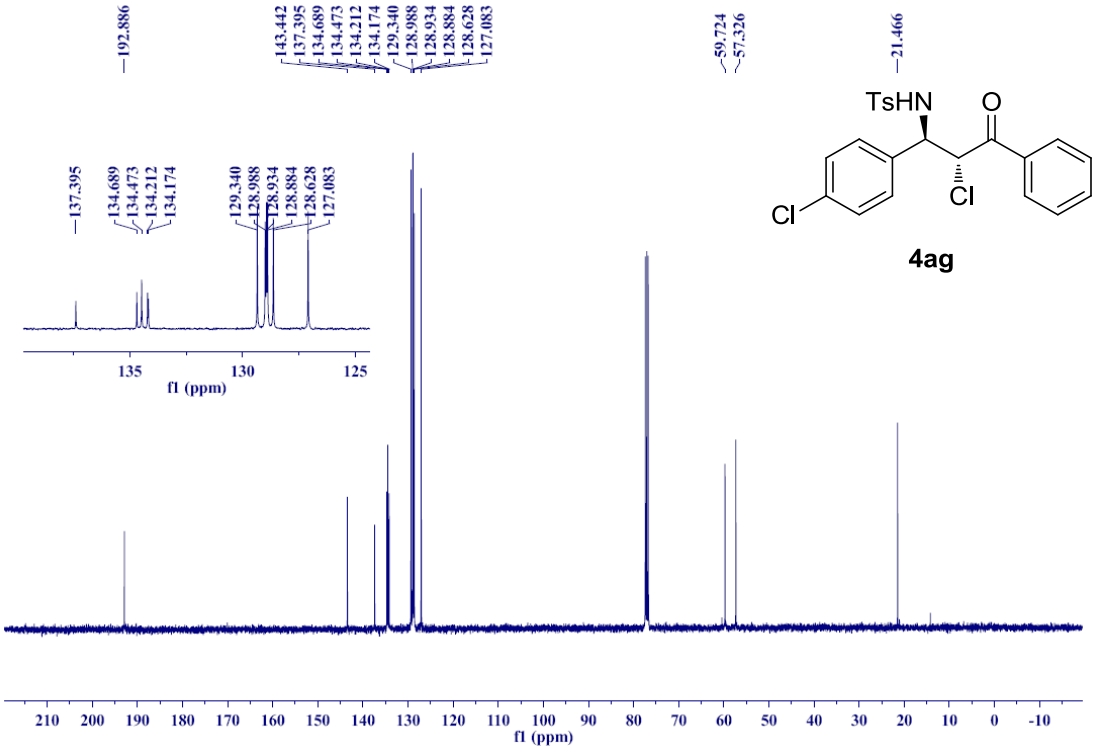
5.103
5.090
5.080
5.067
2.348
0.000
TsHN
O
Cl
Cl
4ag
fl (ppm)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134.212
134.174
129.340
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128.884
128.628
127.083
59.724
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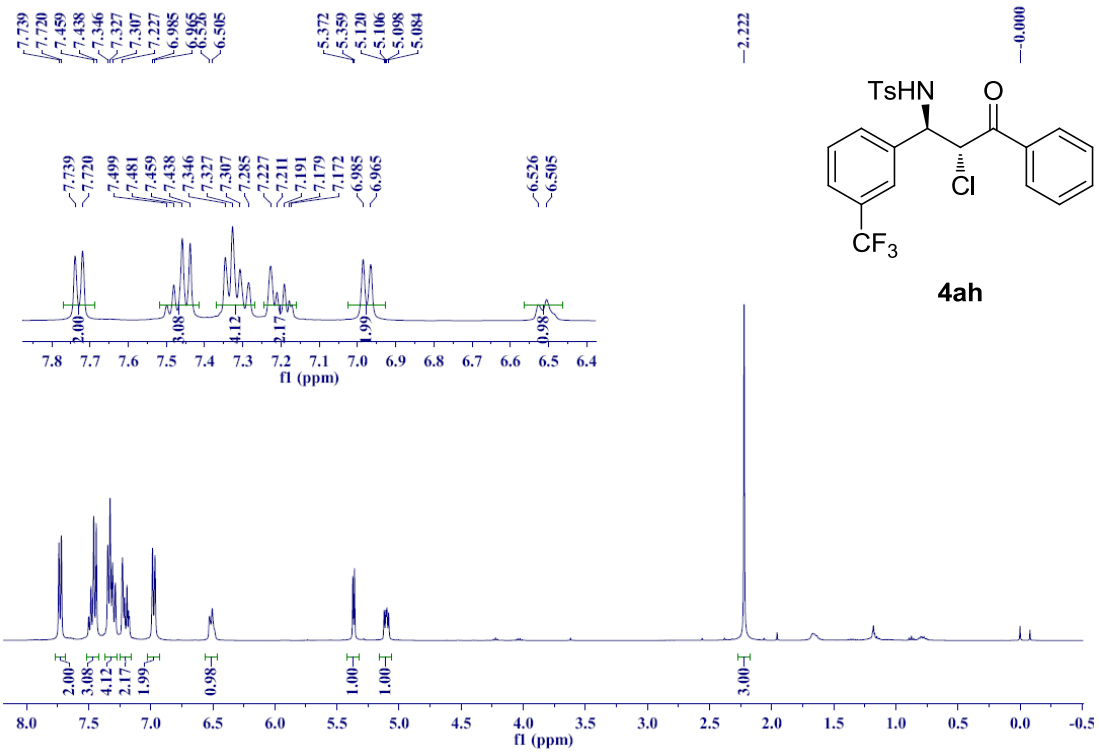
21.466
TsHN
O
Cl
Cl
4ag
f1 (ppm)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7.499
7.481
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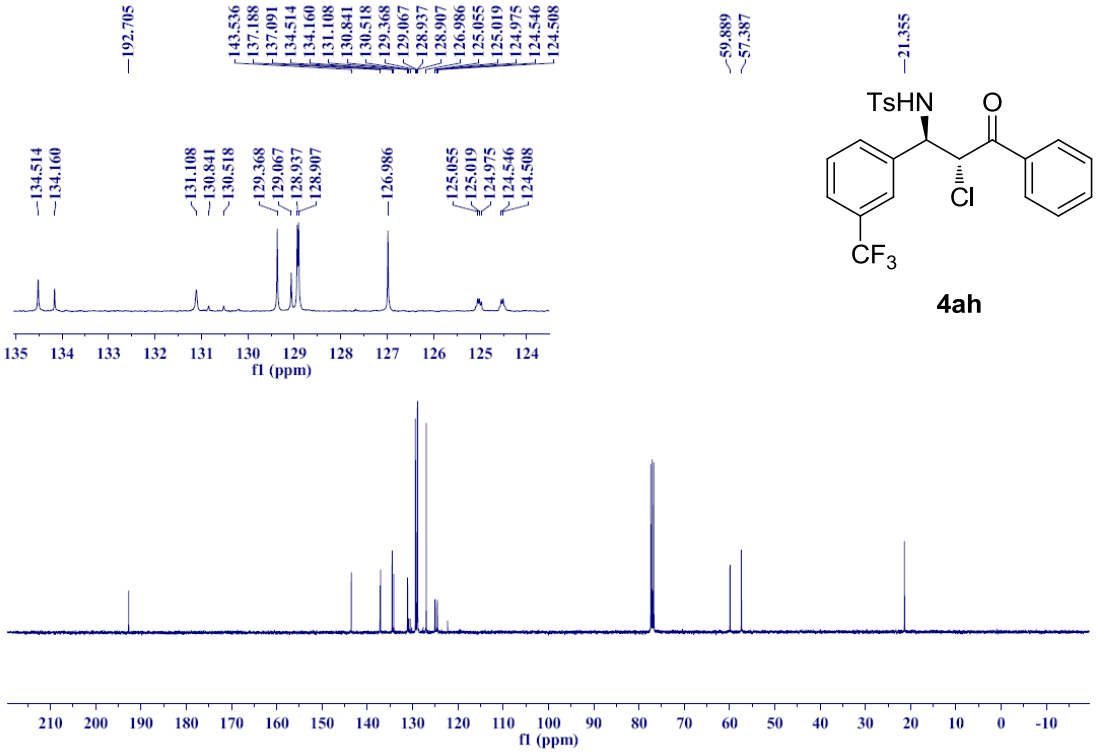
7.438
7.346
7.327
7.307
7.285
7.227
7.211
7.191
7.179
7.172
6.985
6.965
6.526
6.505
5.372
5.359
5.120
5.106
5.098
5.084
2.222
0.000
TsHN
O
Cl
CF3
4ah
2.00
3.08
4.12
2.17
1.99
0.98
1.00
1.00
3.00
f1 (ppm)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129.067
128.937
128.907
126.986
125.055
125.019
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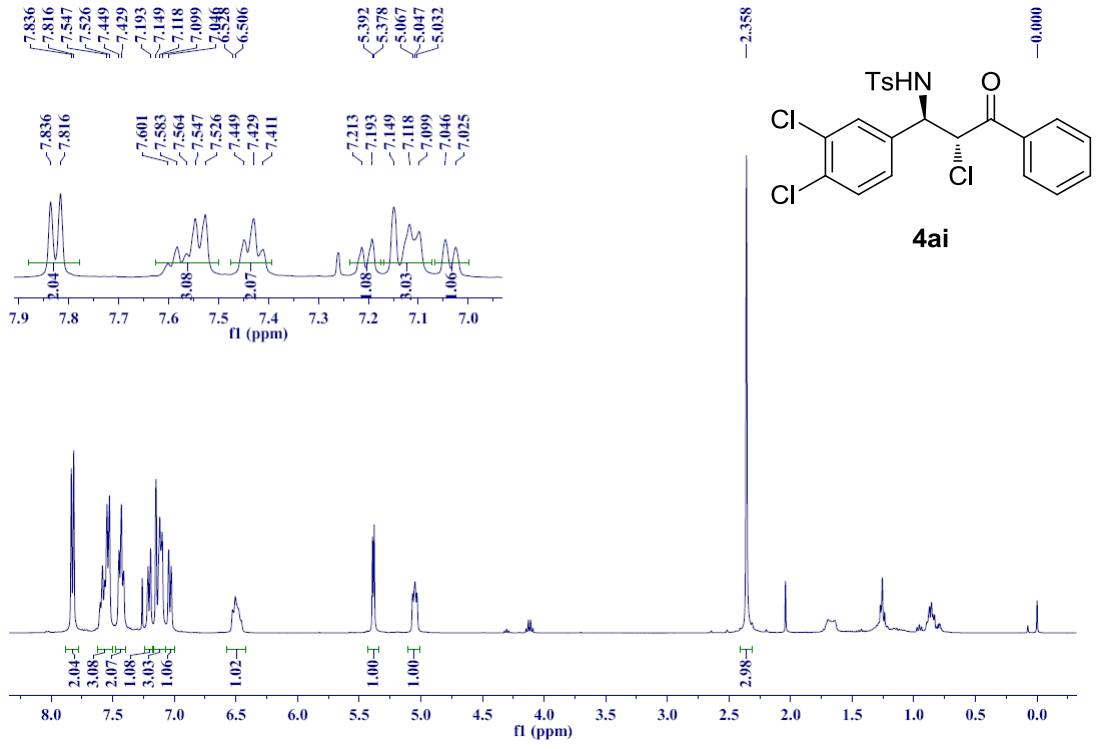
124.546
124.508
59.889
57.387
21.355
TsHN
O
Cl
CF3
4ah
f1 (ppm)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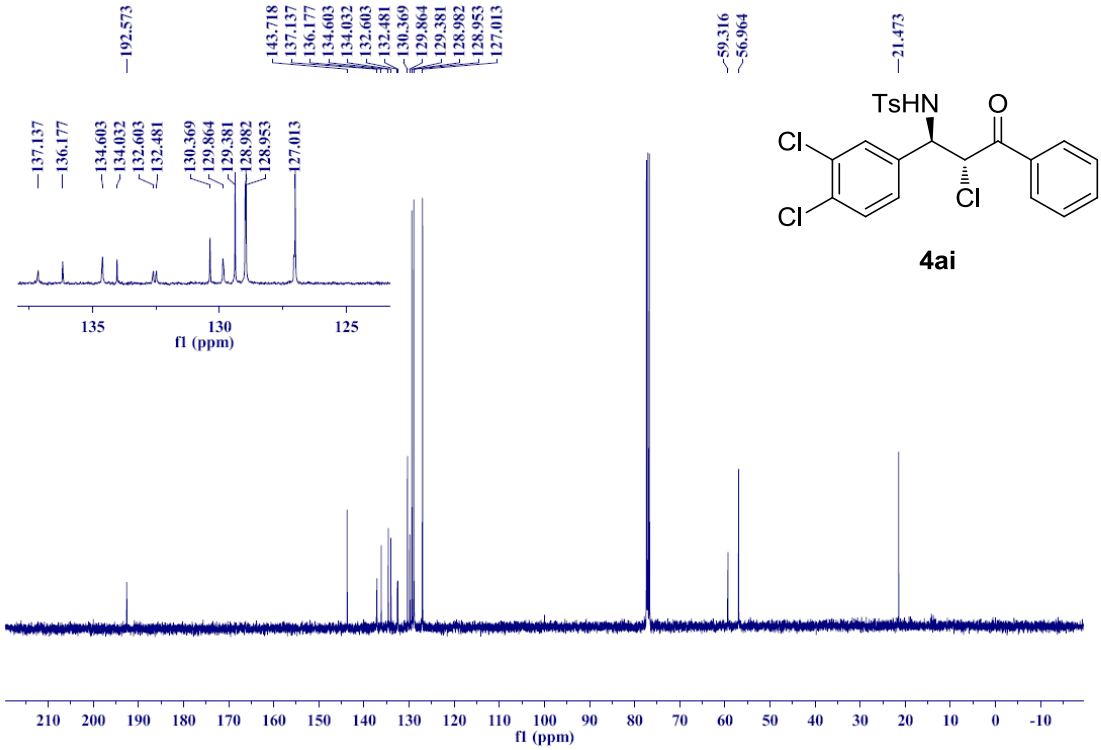
7.547
7.526
7.449
7.429
7.193
7.149
7.118
7.099
6.548
6.506
5.392
5.378
5.067
5.047
5.032
2.358
0.000
TsHN
O
Cl
Cl
Cl
4ai
2.04
3.08
2.07
1.08
3.03
1.06
1.02
1.00
1.00
2.98
f1 (ppm)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143.718
137.137
136.177
134.603
134.032
132.603
132.481
130.369
129.864
129.381
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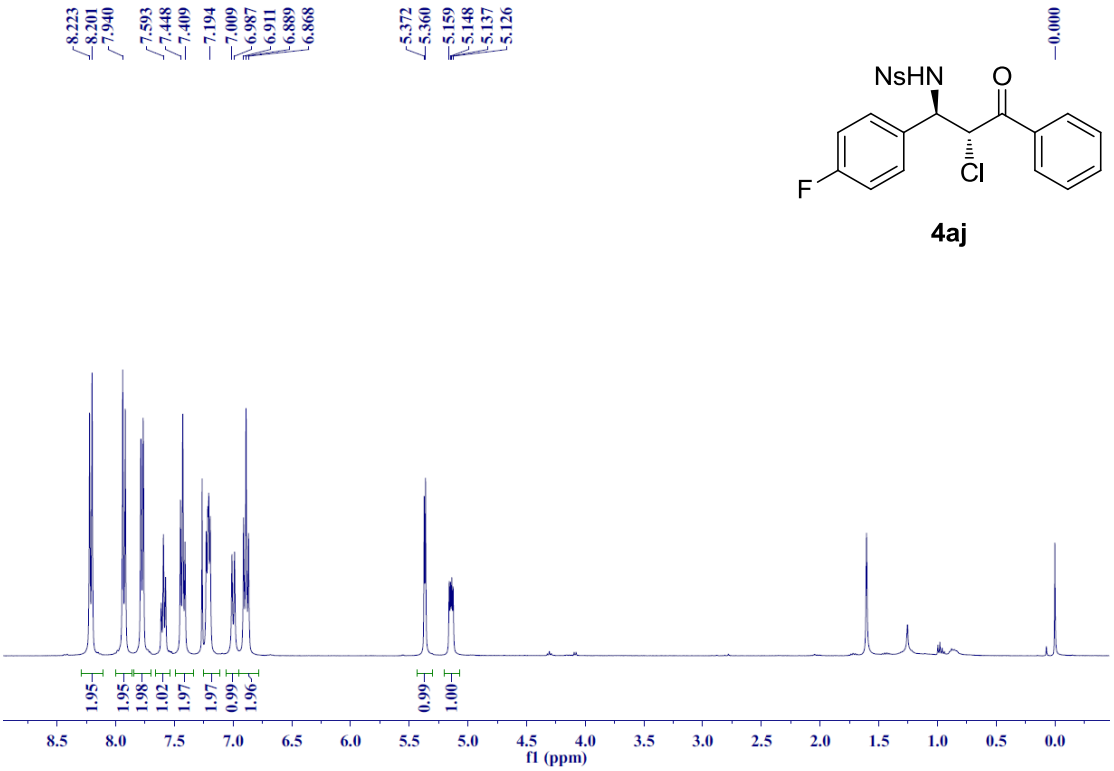
128.953
127.013
59.316
56.964
21.473
TsHN
O
Cl
Cl
Cl
4ai
f1 (ppm)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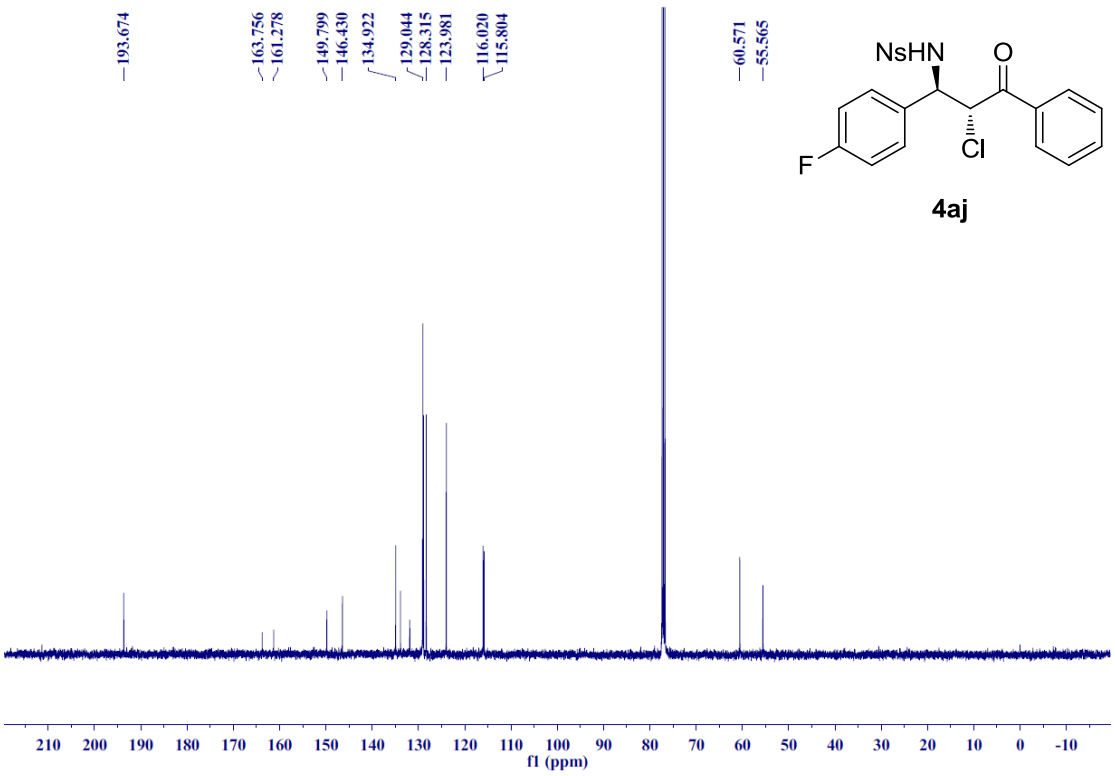
8.201
7.940
7.593
7.448
7.409
7.194
7.009
6.987
6.911
6.889
6.868
5.372
5.360
5.159
5.148
5.137
5.126
0.000
NsHN
O
Cl
F
4aj
1.95
1.95
1.98
1.02
1.97
1.97
0.99
1.96
0.99
1.00
f1 (ppm)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161.278
149.799
146.430
134.922
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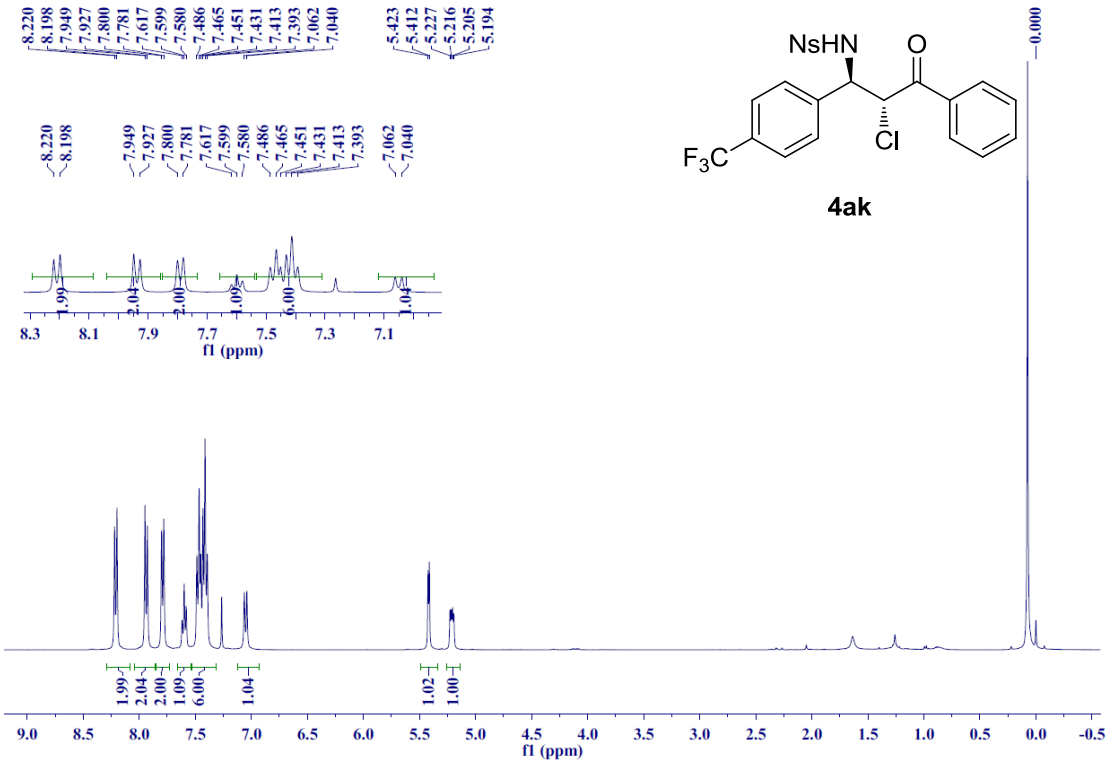
128.315
123.981
116.020
115.804
60.571
55.565
NsHN
O
Cl
F
f1 (ppm)

4aj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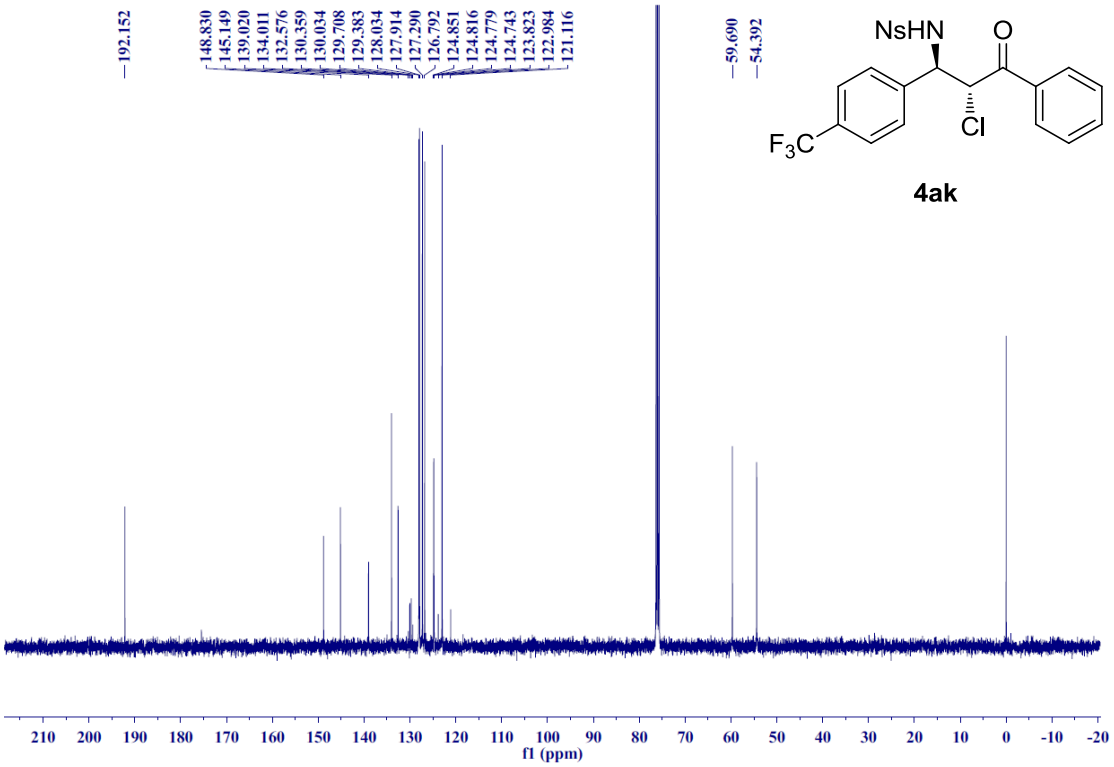
8.198
7.949
7.927
7.800
7.781
7.617
7.599
7.580
7.486
7.465
7.451
7.431
7.413
7.393
7.062
7.040
5.423
5.412
5.227
5.216
5.205
5.194
0.000
NsHN
O
Cl
F3C
1.99
2.04
2.00
1.09
6.00
1.04
1.02
1.00
f1 (ppm)

4ak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145.149
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134.011
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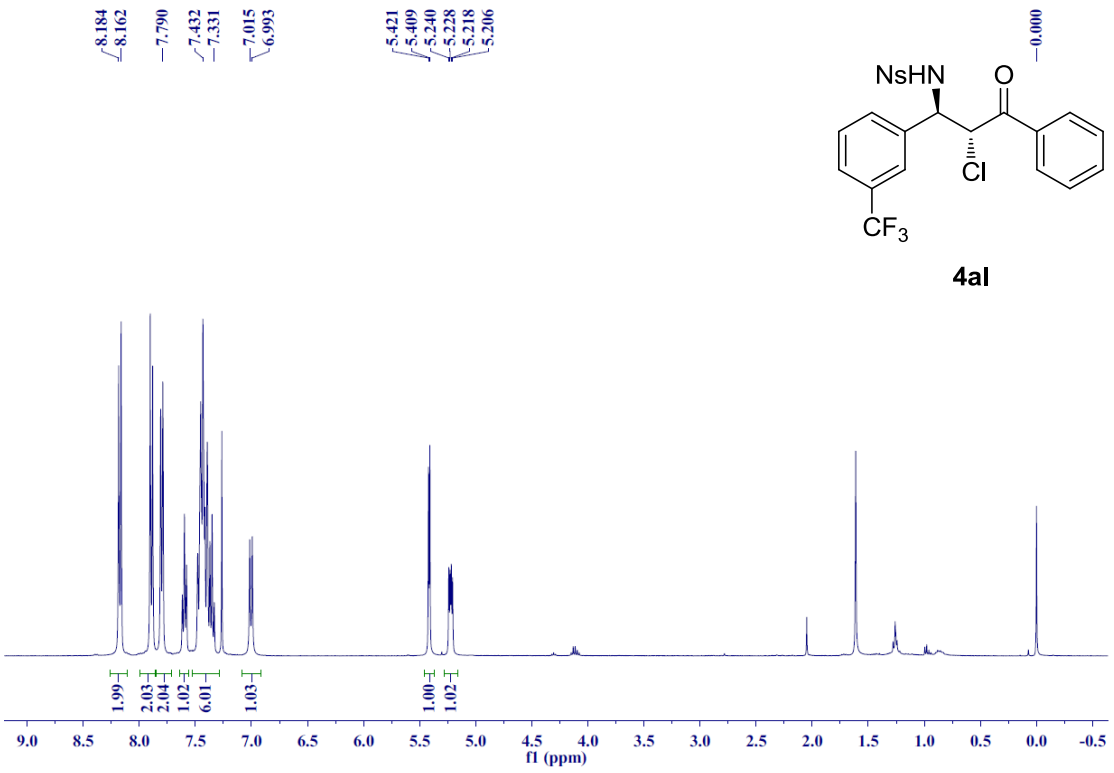
130.034
129.708
129.383
128.034
127.914
127.290
126.792
124.851
124.816
124.779
124.743
123.823
122.984
121.116
59.690
54.392
NsHN
O
Cl
F3C
4ak
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 -20
f1 (ppm)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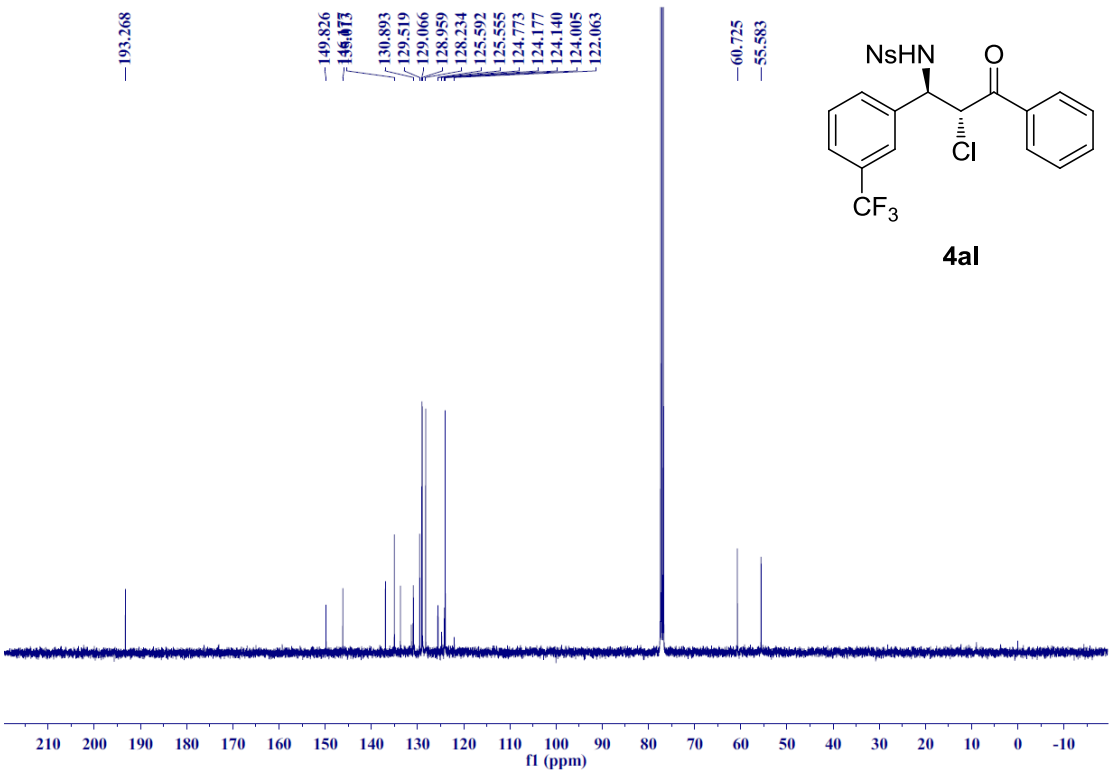
8.162
7.790
7.432
7.331
7.015
6.993
5.421
5.409
5.240
5.228
5.218
5.206
0.000
NsHN
O
Cl
CF3
4al
1.99
2.03
2.04
1.02
6.01
1.03
1.00
1.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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129.519
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128.959
128.234
125.592
125.555
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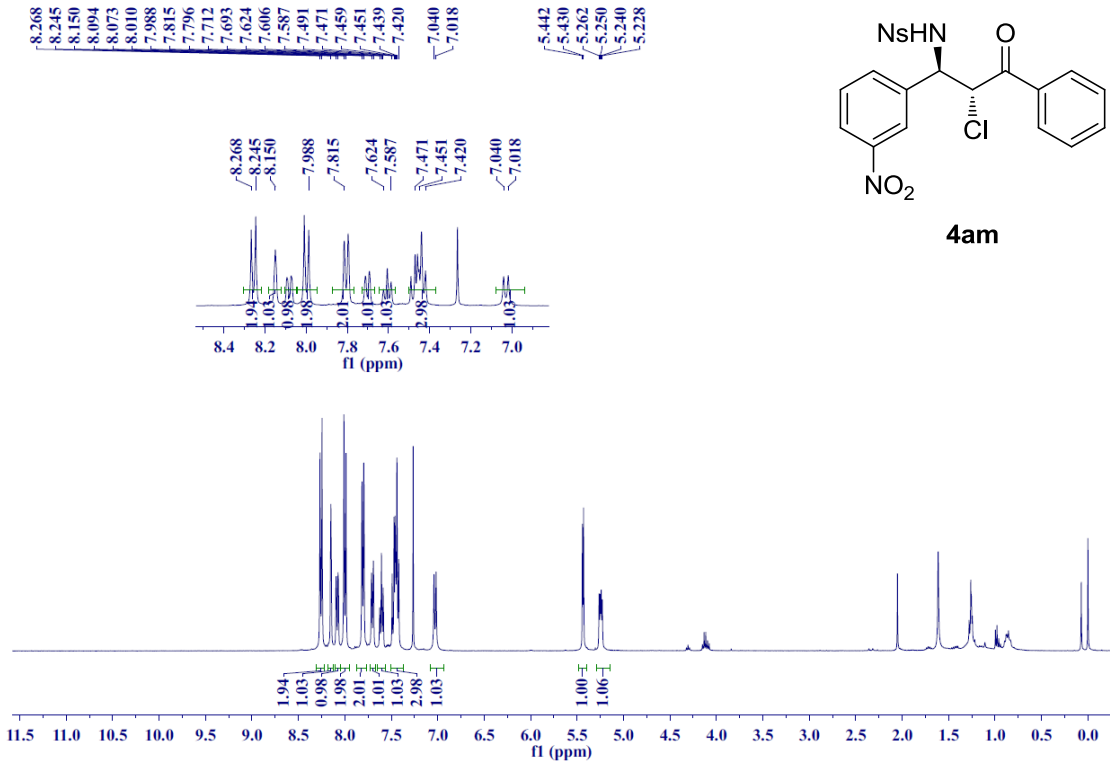
124.140
124.005
122.063
60.725
55.583
NsHN
O
Cl
CF3
4al
f1 (ppm)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8.150
8.094
8.073
8.010
7.988
7.815
7.796
7.712
7.693
7.624
7.606
7.587
7.491
7.471
7.459
7.451
7.439
7.420
7.040
7.018
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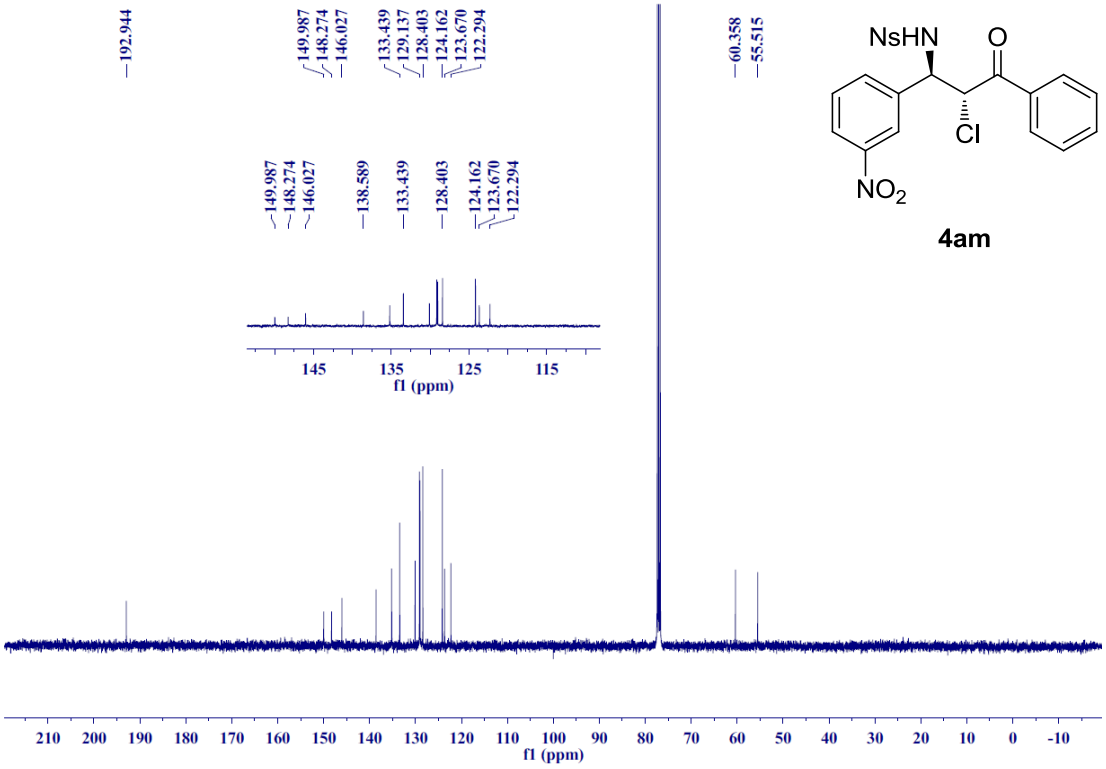
5.430
5.262
5.250
5.240
5.228
NsHN
O
Cl
NO2
4am
f1 (ppm)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124.162
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122.294
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55.515
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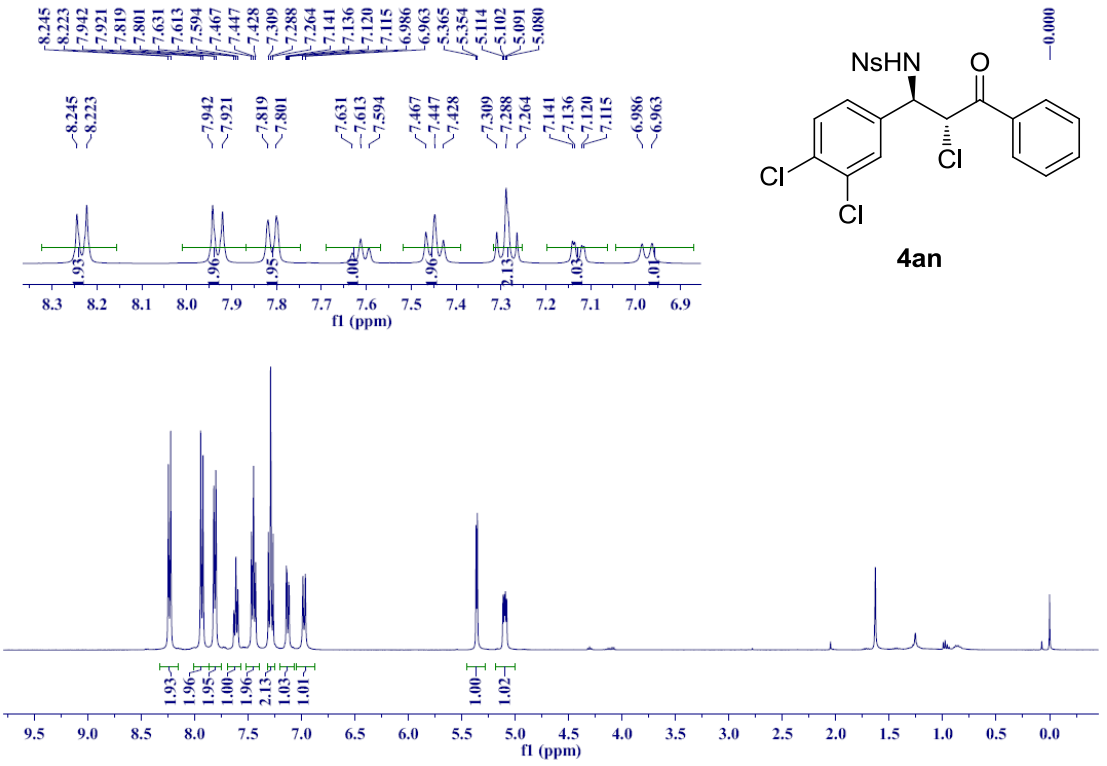
O
Cl
NO2
4am
138.589
fl (ppm)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
fl (ppm)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7.594
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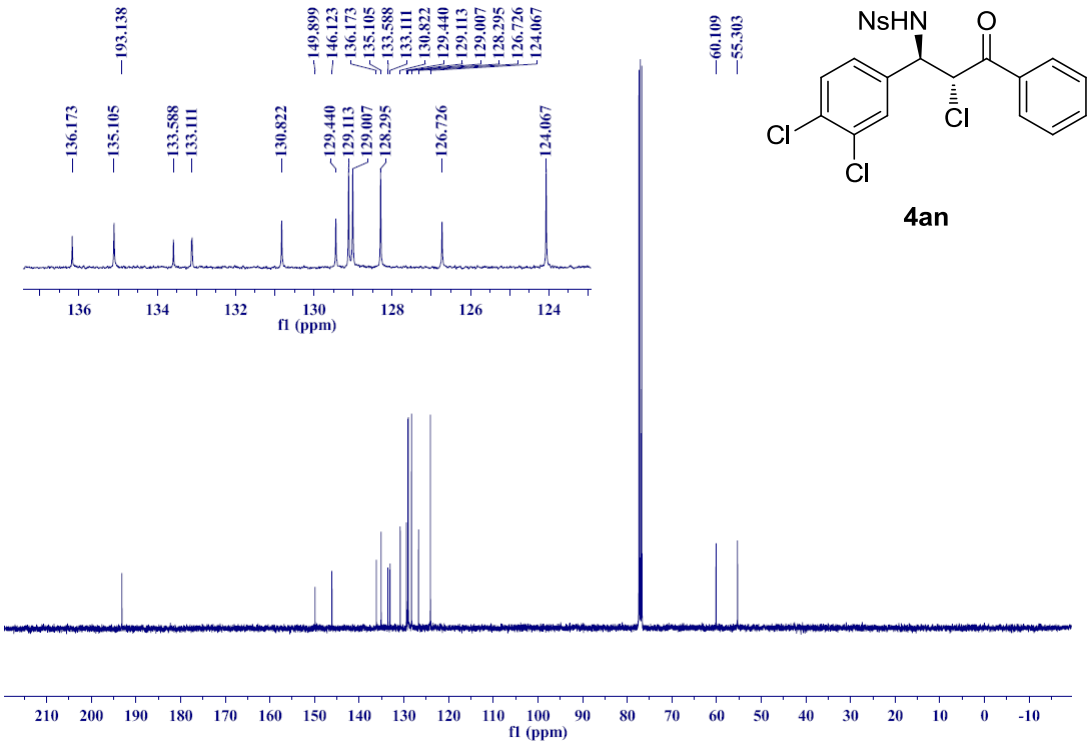
7.309
7.288
7.264
7.141
7.136
7.120
7.115
6.986
6.963
5.365
5.354
5.114
5.102
5.091
5.080
0.000
NsHN
O
Cl
Cl
Cl
4an
1.93
1.96
1.95
1.00
1.96
2.13
1.03
1.01
fl (ppm)
1.00
1.02
fl (ppm)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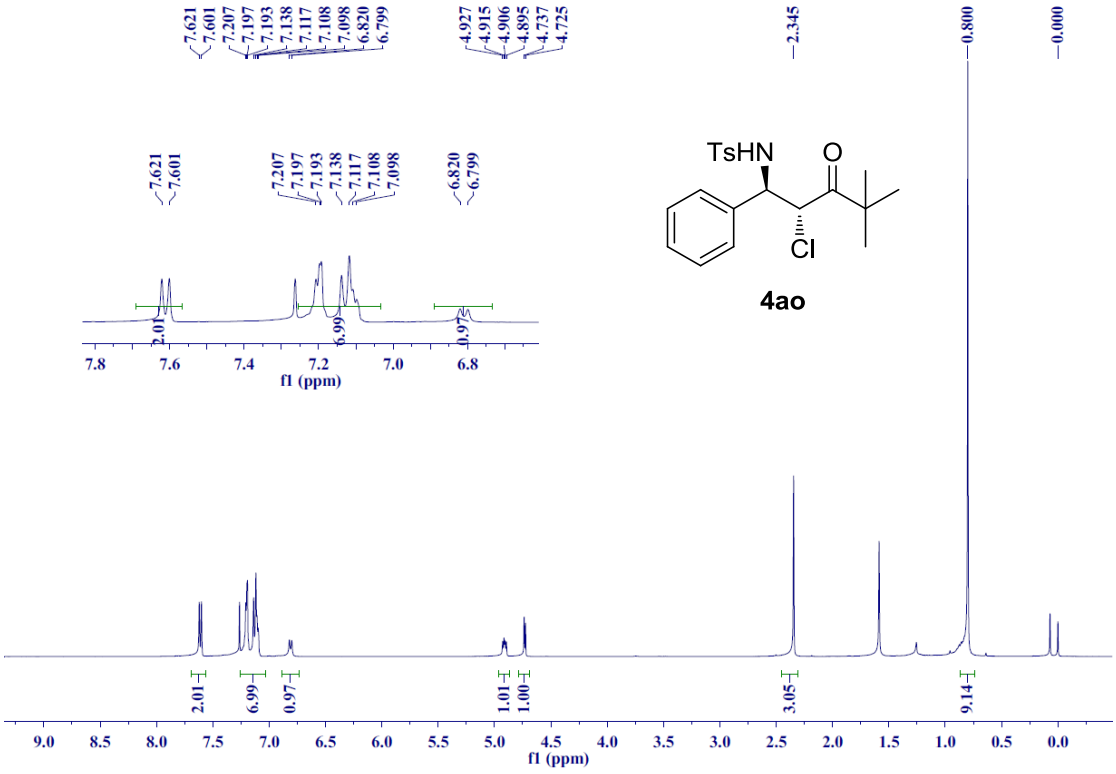
NsHN
O
Cl
Cl
Cl
4an
f1 (ppm)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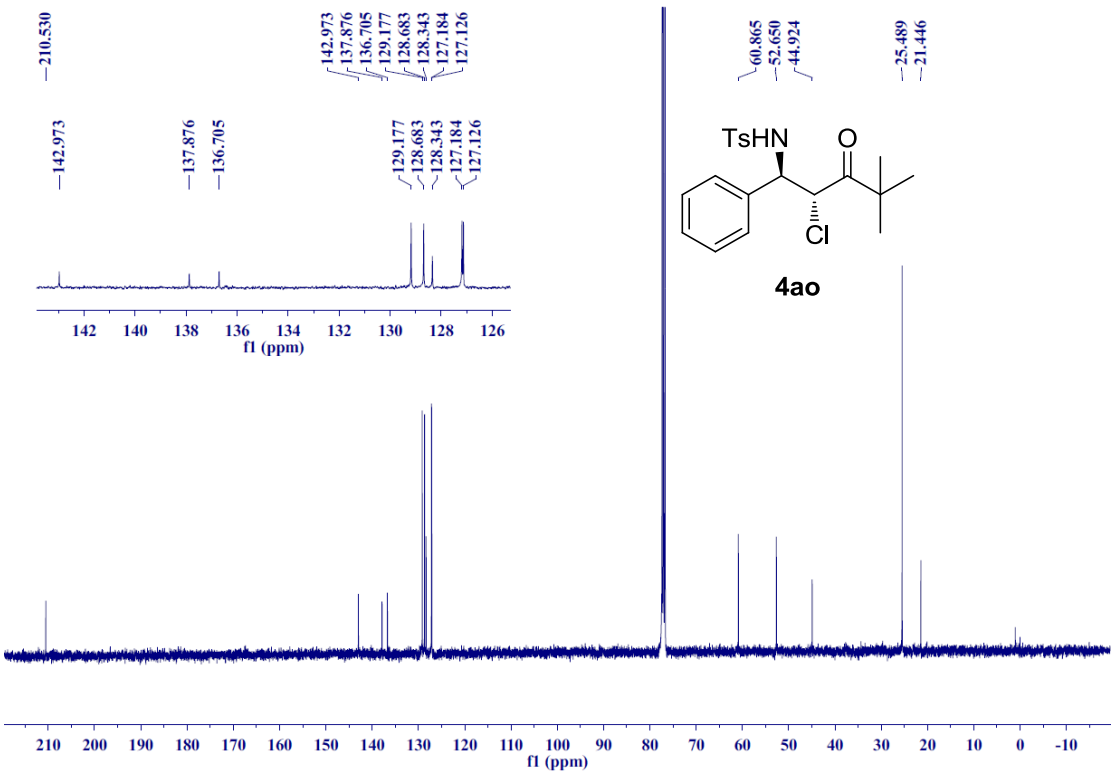
7.138
7.117
7.108
7.098
6.820
6.799
4.927
4.915
4.906
4.895
4.737
4.725
2.345
0.800
0.000
TsHN
O
Cl
4ao
2.01
6.99
0.97
1.01
1.00
3.05
9.14
f1 (ppm)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127.184
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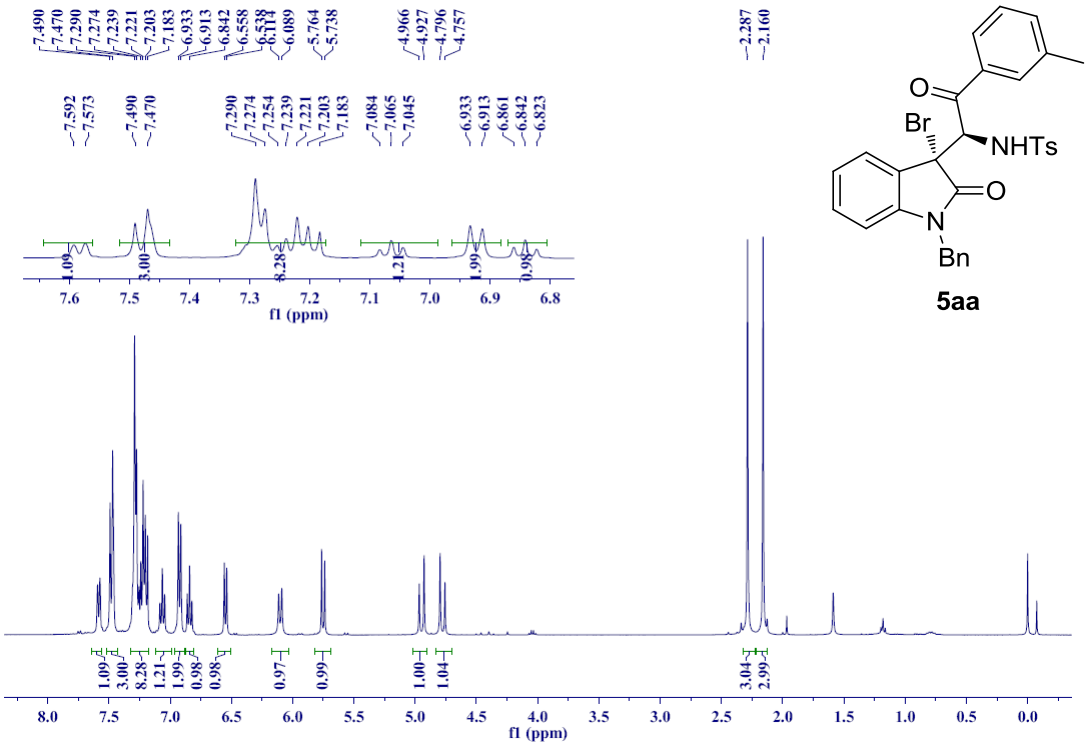
44.924
25.489
21.446
TsHN
O
Cl
4ao
f1 (ppm)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7.290
7.274
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7.221
7.203
7.183
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6.913
6.842
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6.538
6.114
6.089
5.764
5.738
4.966
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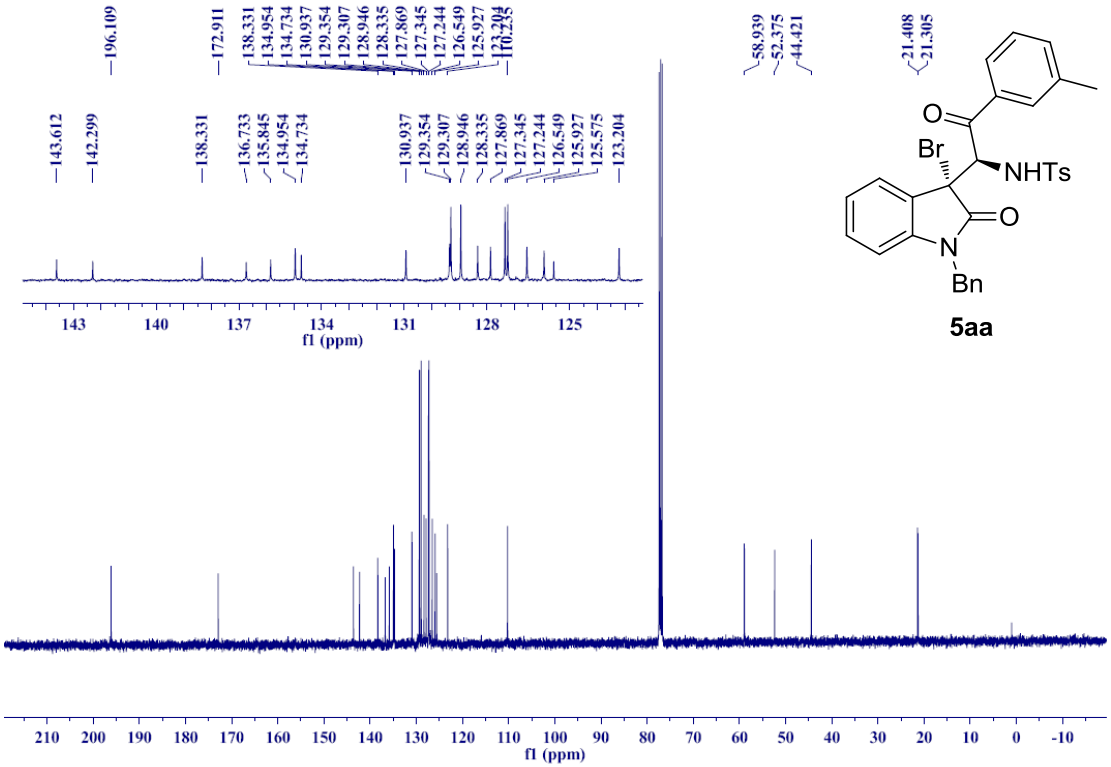
4.757
2.287
2.160
O
Br
NHTs
O
N
Bn
5aa
f1 (ppm)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127.244
126.549
125.927
123.204
58.939
52.375
44.421
21.408
21.305
143.612
142.299
138.331
136.733
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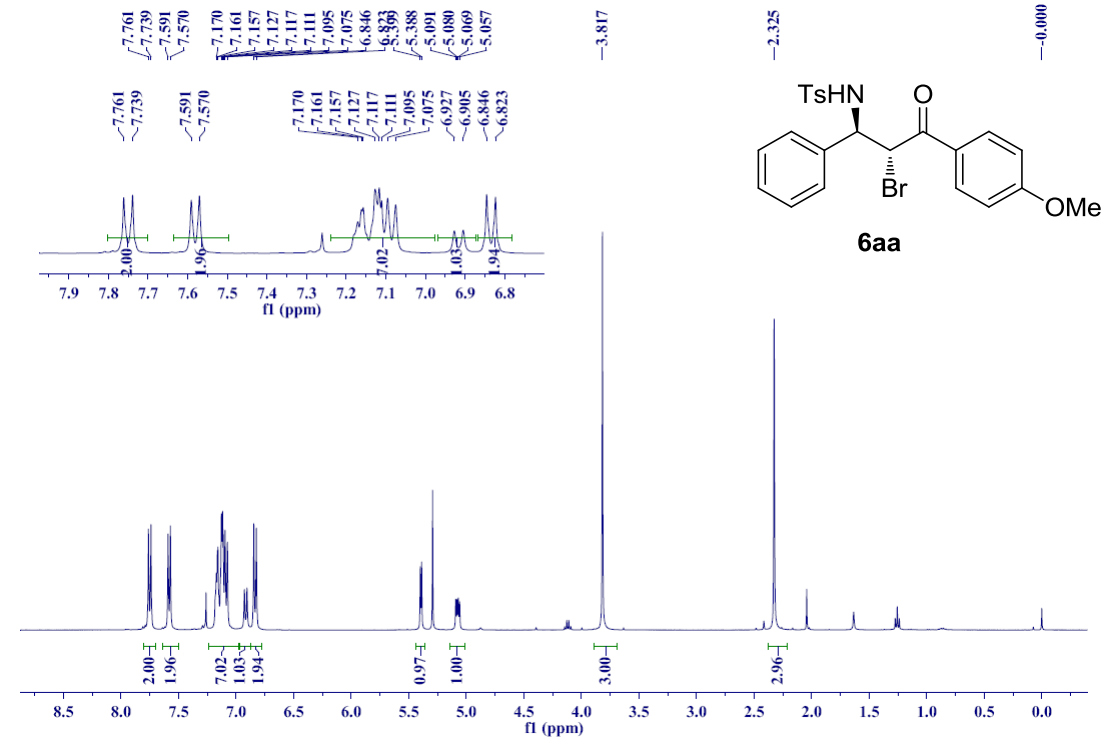
134.954
134.734
130.937
129.354
129.307
128.946
128.335
127.869
127.345
127.244
126.549
125.927
125.575
123.204
143
140
137
134
131
128
125
f1 (ppm)
O
Br
NHTs
O
N
Bn
5aa
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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7.127
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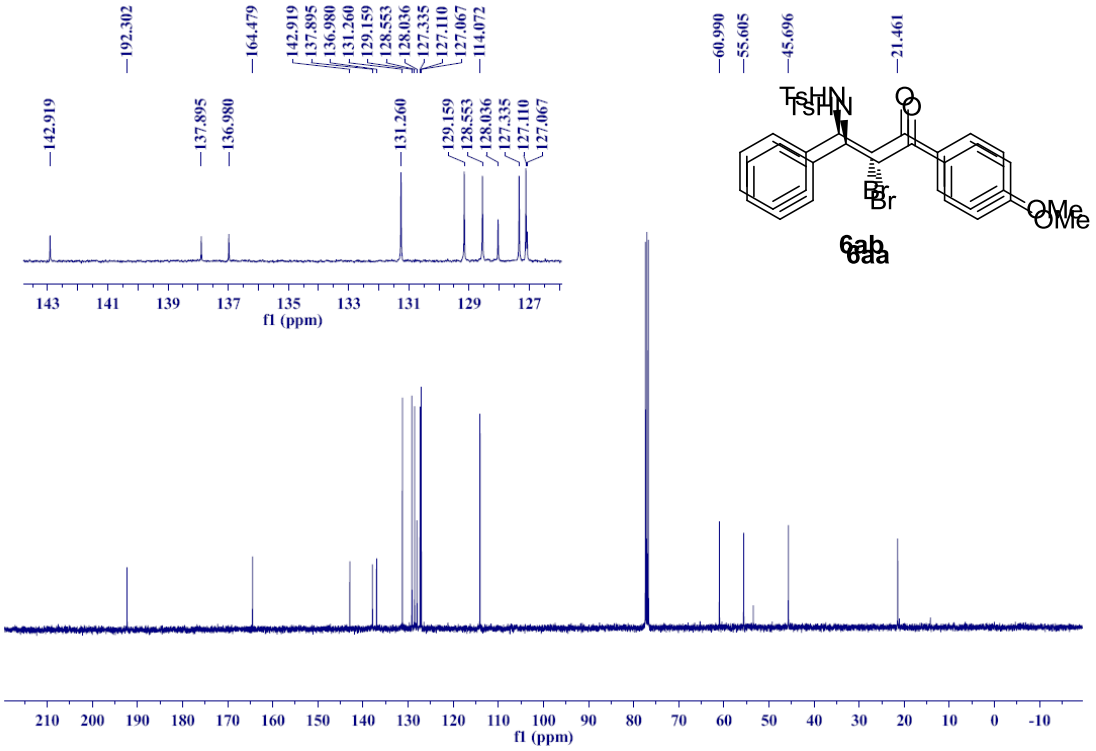
7.075
6.846
5.388
5.091
5.080
5.069
5.057
3.817
2.325
0.000
7.761
7.739
7.591
7.570
7.170
7.161
7.157
7.127
7.117
7.111
7.095
7.075
6.927
6.905
6.846
6.823
2.00
1.96
7.02
1.03
1.94
7.9
7.8
7.7
7.6
7.5
7.4
7.3
7.2
7.1
7.0
6.9
6.8
f1 (ppm)
TsHN
O
Br
OMe
6aa
2.00
1.96
7.02
1.03
1.94
0.97
1.00
3.00
2.96
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)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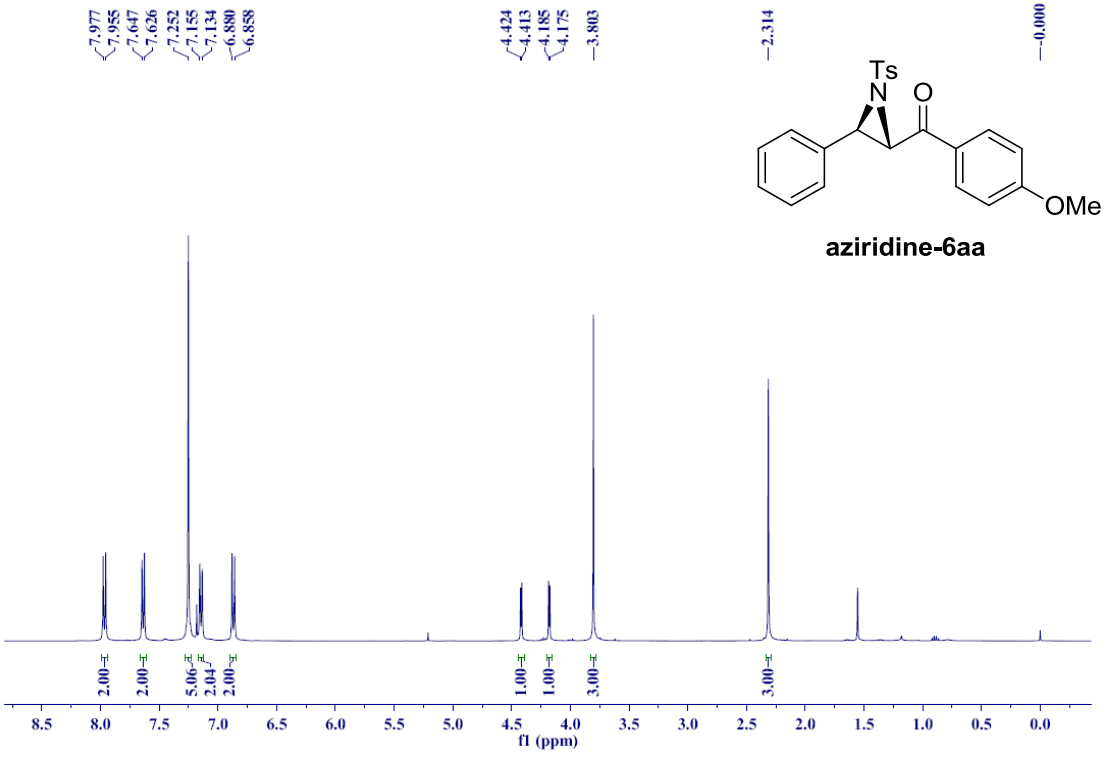
129.159
128.553
128.036
127.335
127.110
127.067
114.072
60.990
55.605
45.696
21.461
TsHN
O
Br
OMe
6ab
6aa
fl (ppm)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7.626
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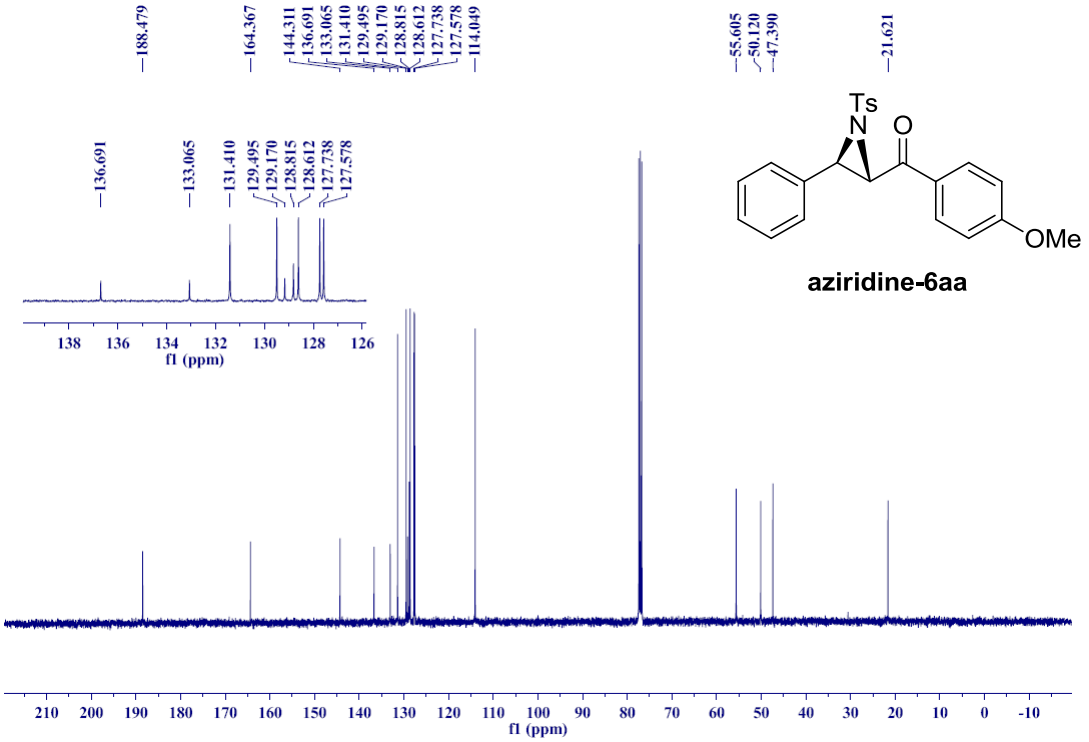
7.134
6.880
6.858
4.424
4.413
4.185
4.175
3.803
2.314
0.000
Ts
N
O
OMe
aziridine-6aa
2.00
2.00
5.06
2.04
2.00
1.00
1.00
3.00
3.00
fl (ppm)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127.738
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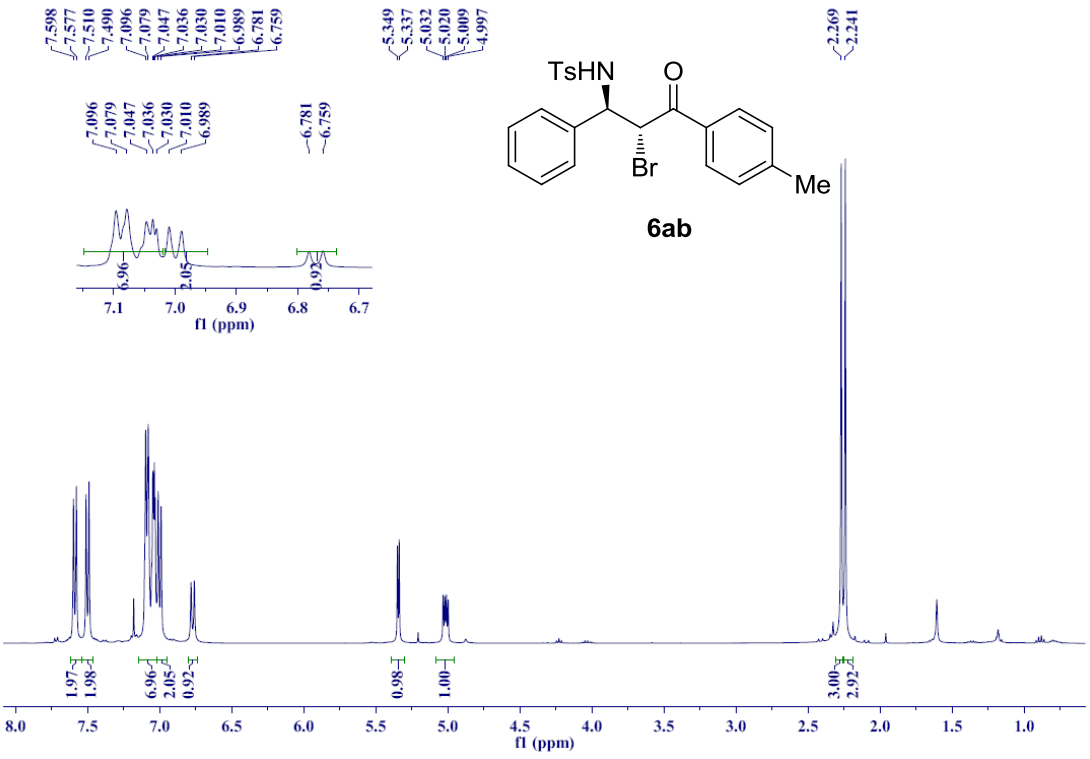
114.049
55.605
50.120
47.390
21.621
Ts
N
O
OMe
aziridine-6aa
f1 (ppm)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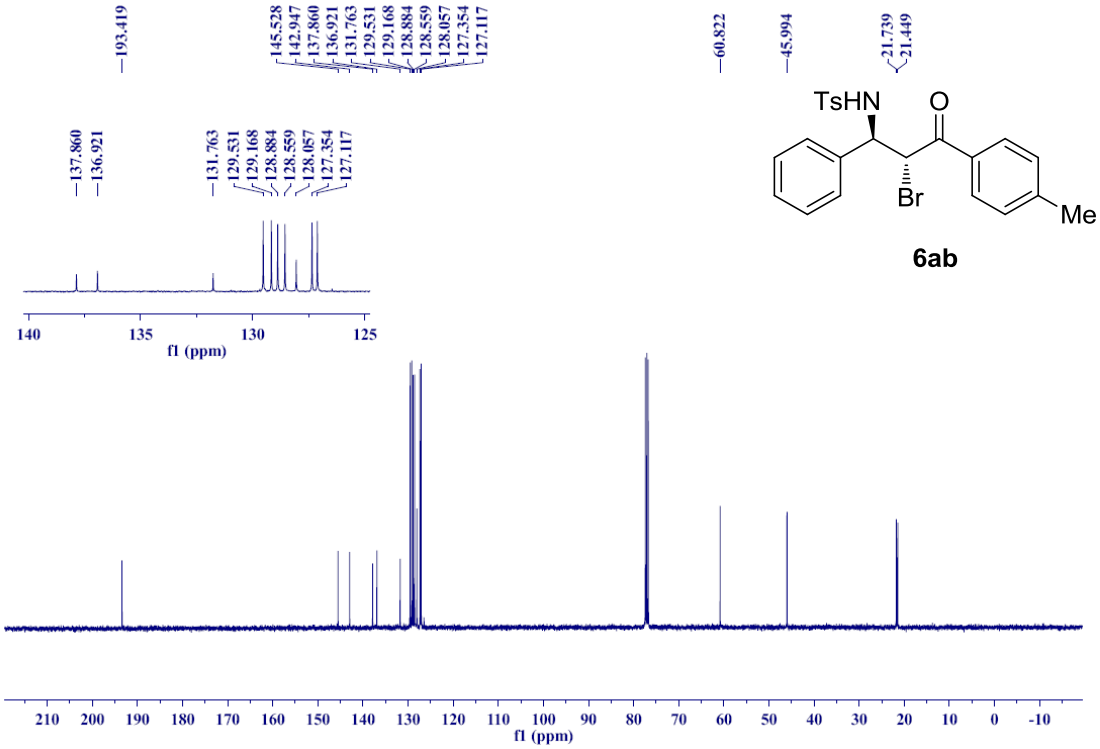
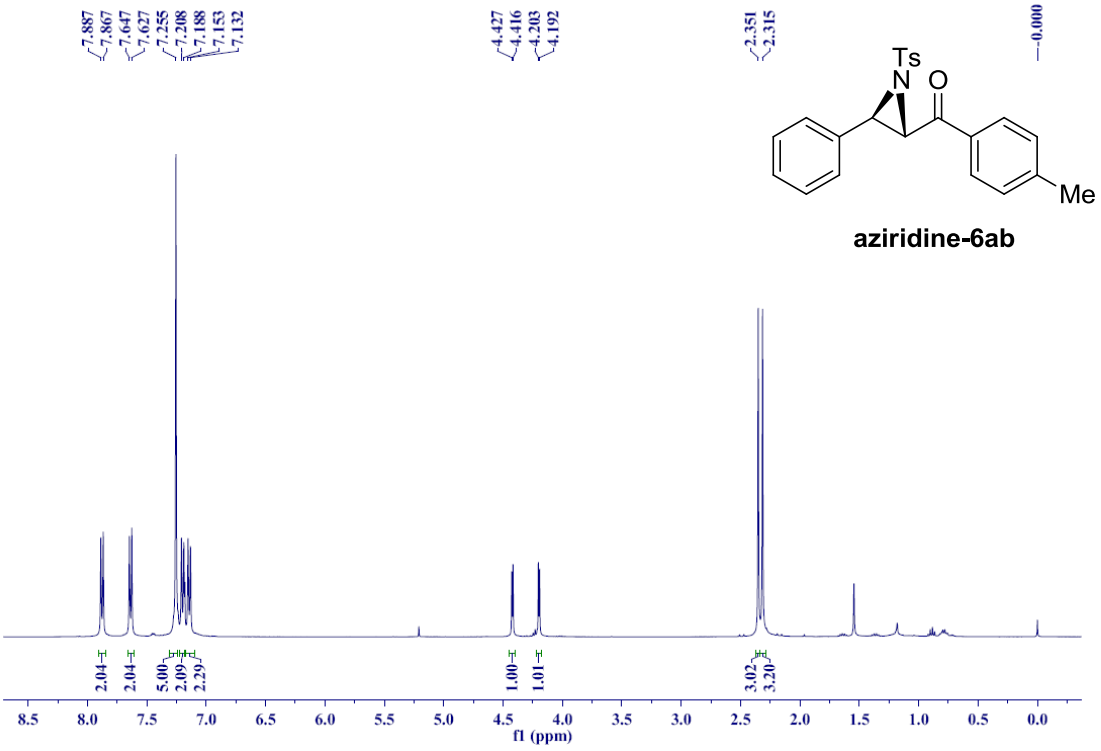
7.577
7.510
7.490
7.096
7.079
7.047
7.036
7.030
7.010
6.989
6.781
6.759
5.349
5.337
5.032
5.020
5.009
4.997
2.269
2.241
TsHN
O
Br
Me
6ab
1.97
1.98
6.96
2.05
0.92
0.98
1.00
3.00
2.92
f1 (ppm)


193.419
145.528
142.947
137.860
136.921
131.763
129.531
129.168
128.884
128.559
128.057
127.354
127.117
60.822
45.994
21.739
21.449
TsHN
O
Br
Me
6ab
f1 (ppm)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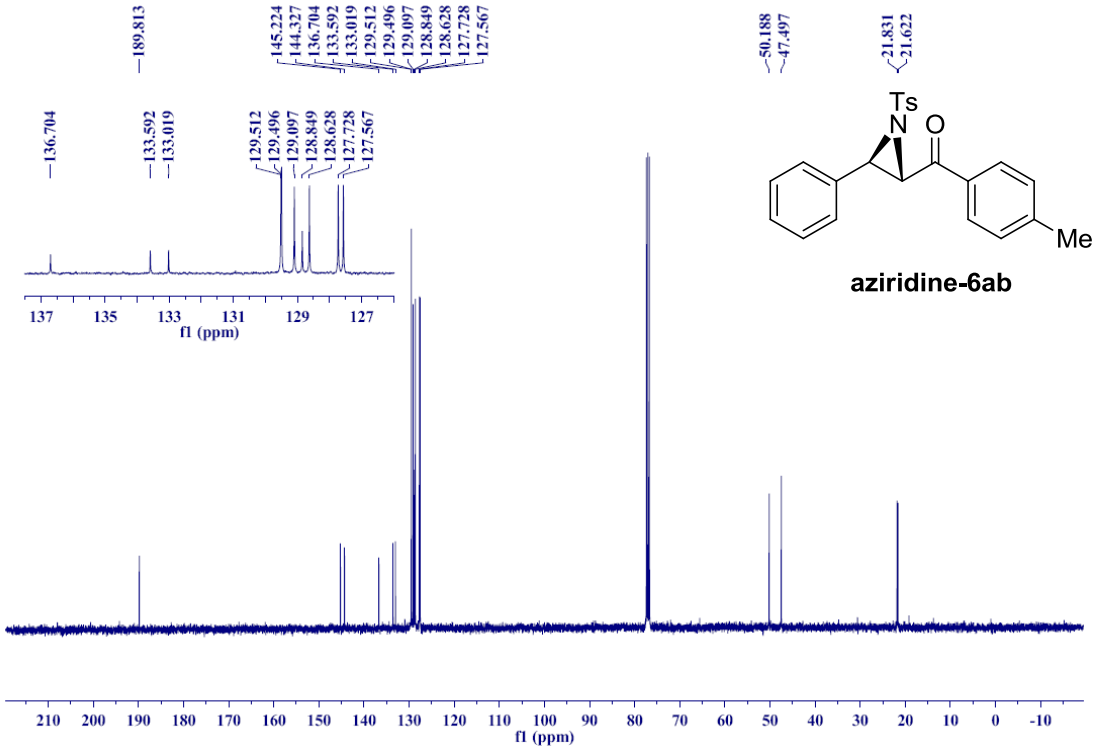
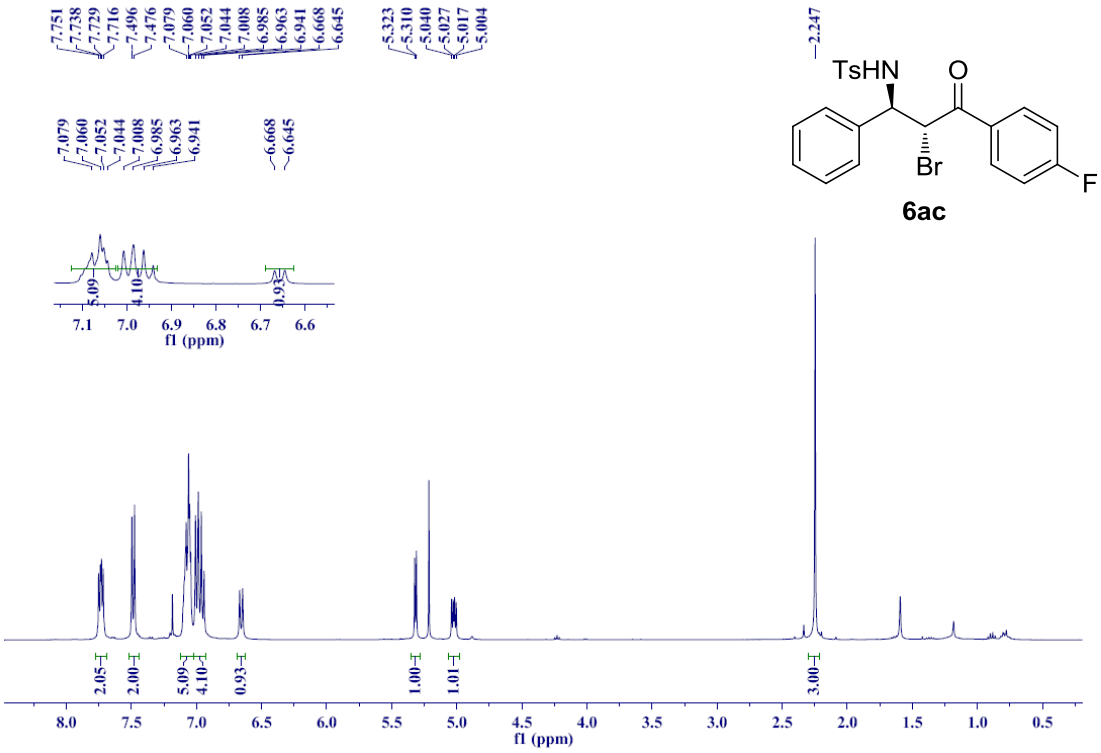
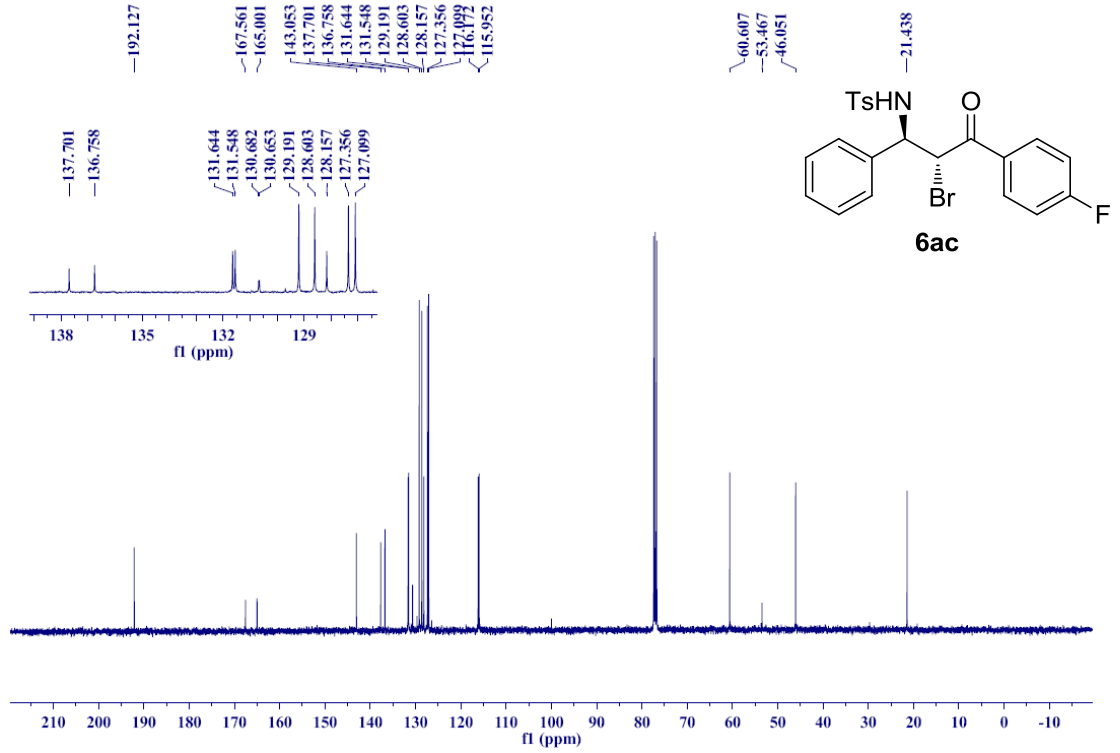
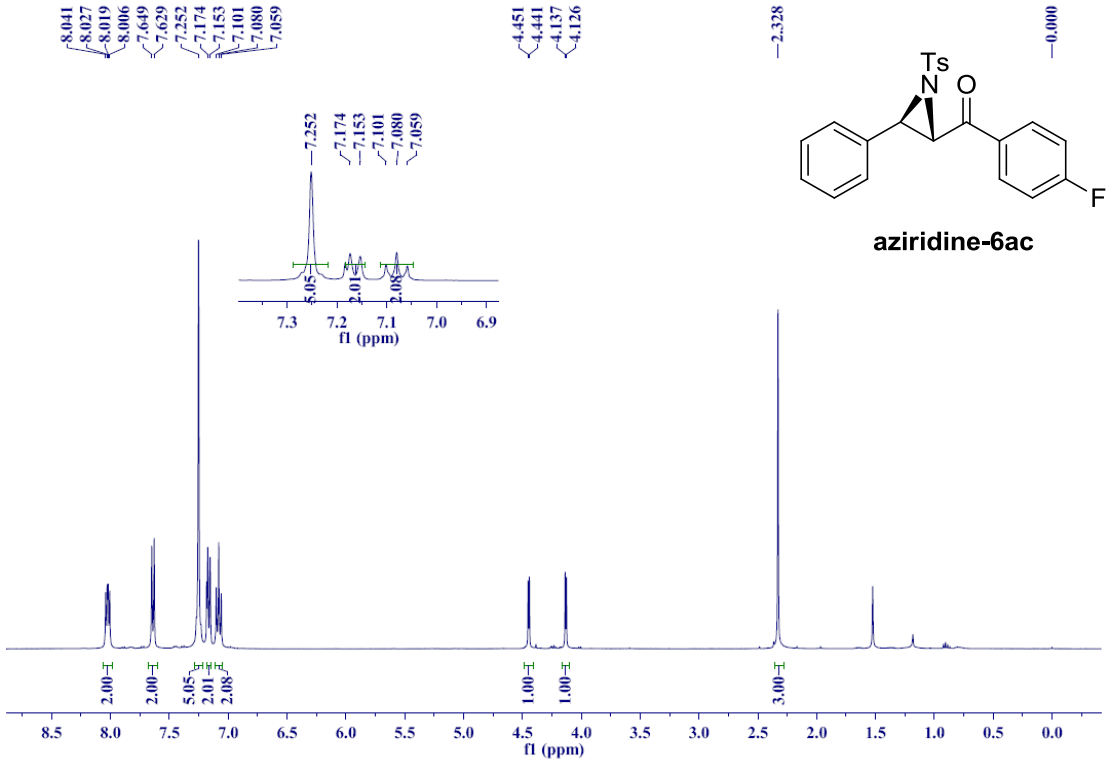
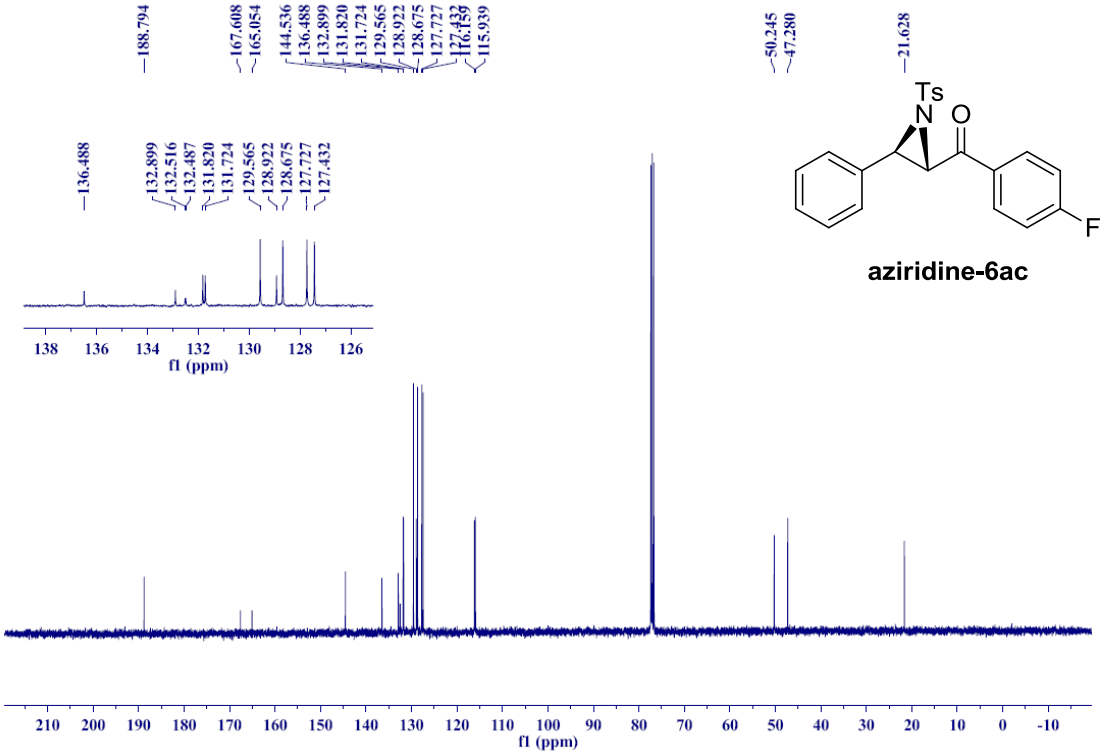
7.867
7.647
7.627
7.255
7.208
7.188
7.153
7.132
4.427
4.416
4.203
4.192
2.351
2.315
0.000
Ts
N
O
Me
aziridine-6ab
2.04
2.04
5.00
2.09
2.29
1.00
1.01
3.02
3.20
f1 (ppm)

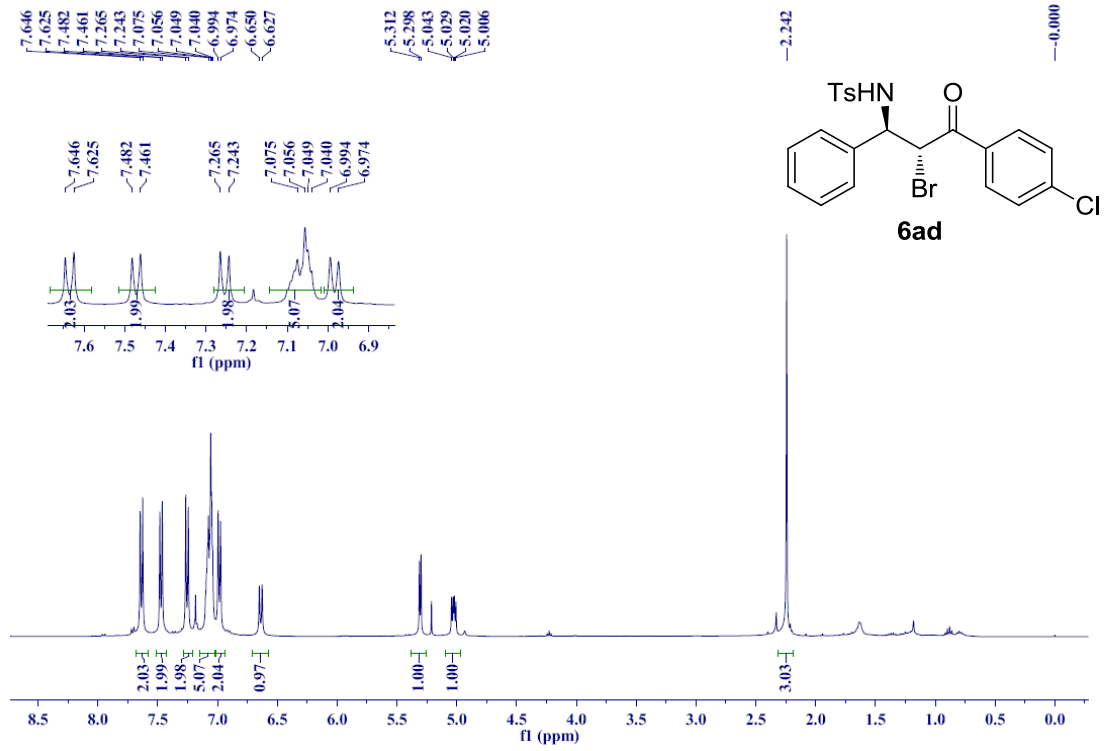

189.813
145.224
144.327
136.704
133.592
133.019
129.512
129.496
129.097
128.849
128.628
127.728
127.567
50.188
47.497
21.831
21.622
Ts
N
O
Me
aziridine-6ab
f1 (ppm)

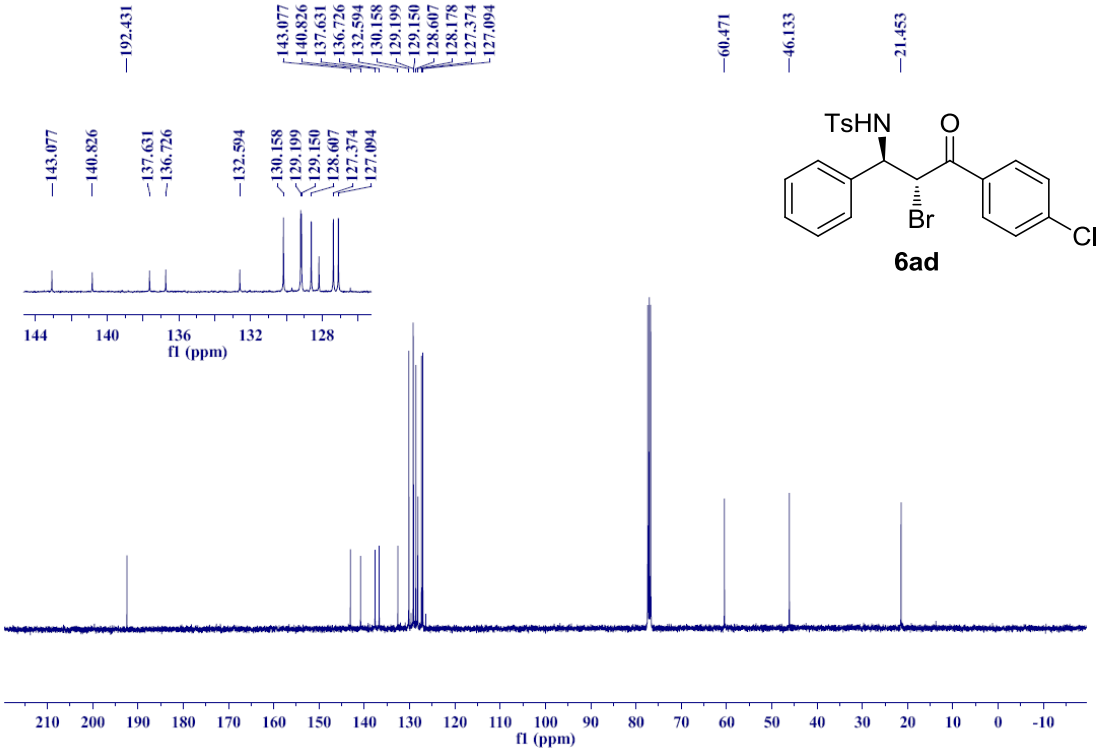

2.247
TsHN
O
Br
F
6ac
5.09
4.10
0.93
2.05
2.00
1.00
1.01
3.00
f1 (ppm)


192.127
167.561
165.001
143.053
137.701
136.758
131.644
131.548
129.191
128.603
128.157
127.356
127.099
116.172
115.952
60.607
53.467
46.051
21.438
TsHN
O
Br
F
6ac
137.701
136.758
131.644
131.548
130.682
130.653
129.191
128.603
128.157
127.356
127.099
138
135
132
129
f1 (ppm)
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)


8.041
8.027
8.019
8.006
7.649
7.629
7.252
7.174
7.153
7.101
7.080
7.059
4.451
4.441
4.137
4.126
2.328
0.000
Ts
N
O
F
aziridine-6ac
7.252
7.174
7.153
7.101
7.080
7.059
5.05
2.01
2.08
7.3 7.2 7.1 7.0 6.9
f1 (ppm)
2.00
2.00
5.05
2.01
2.08
1.00
1.00
3.00
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)


188.794
167.608
165.054
144.536
136.488
132.899
131.820
131.724
129.565
128.922
128.675
127.727
127.432
116.139
115.939
50.245
47.280
21.628
Ts
N
O
F
aziridine-6ac
f1 (ppm)


7.646
7.625
7.482
7.461
7.265
7.243
7.075
7.056
7.049
7.040
6.994
6.974
6.650
6.627
5.312
5.298
5.043
5.029
5.020
5.006
2.242
0.000
TsHN
O
Br
Cl
6ad
2.03
1.99
1.98
5.07
2.04
0.97
1.00
1.00
3.03
f1 (ppm)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140.826
137.631
136.726
132.594
130.158
129.199
129.150
128.607
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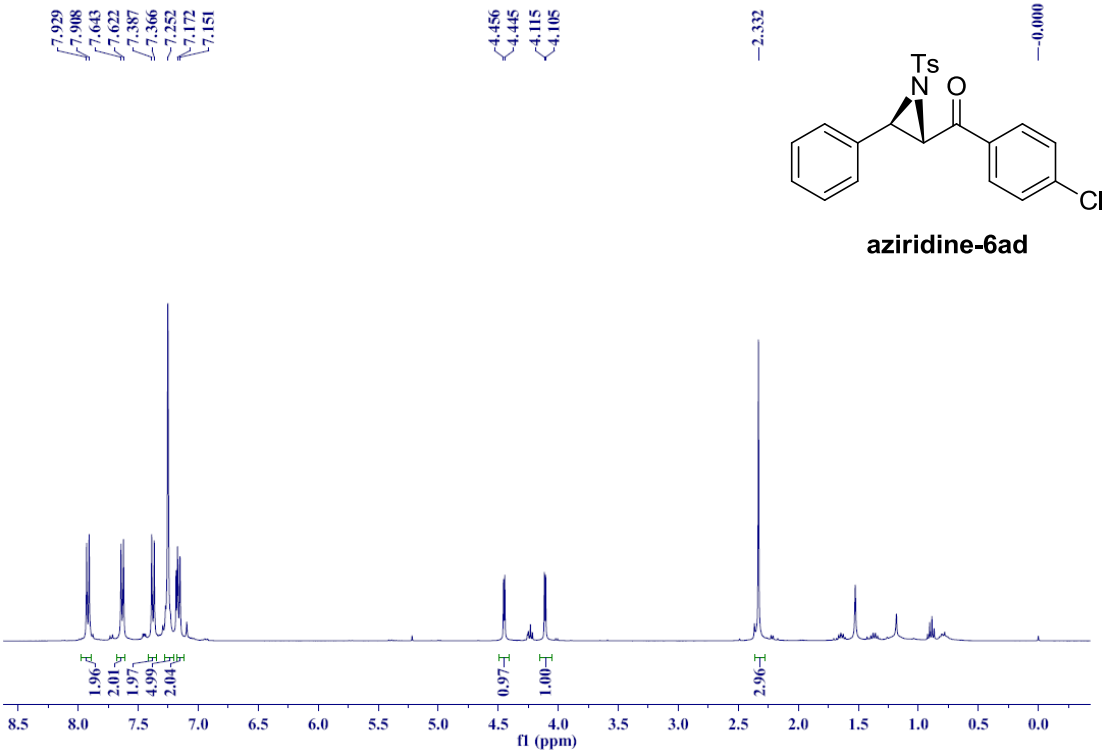
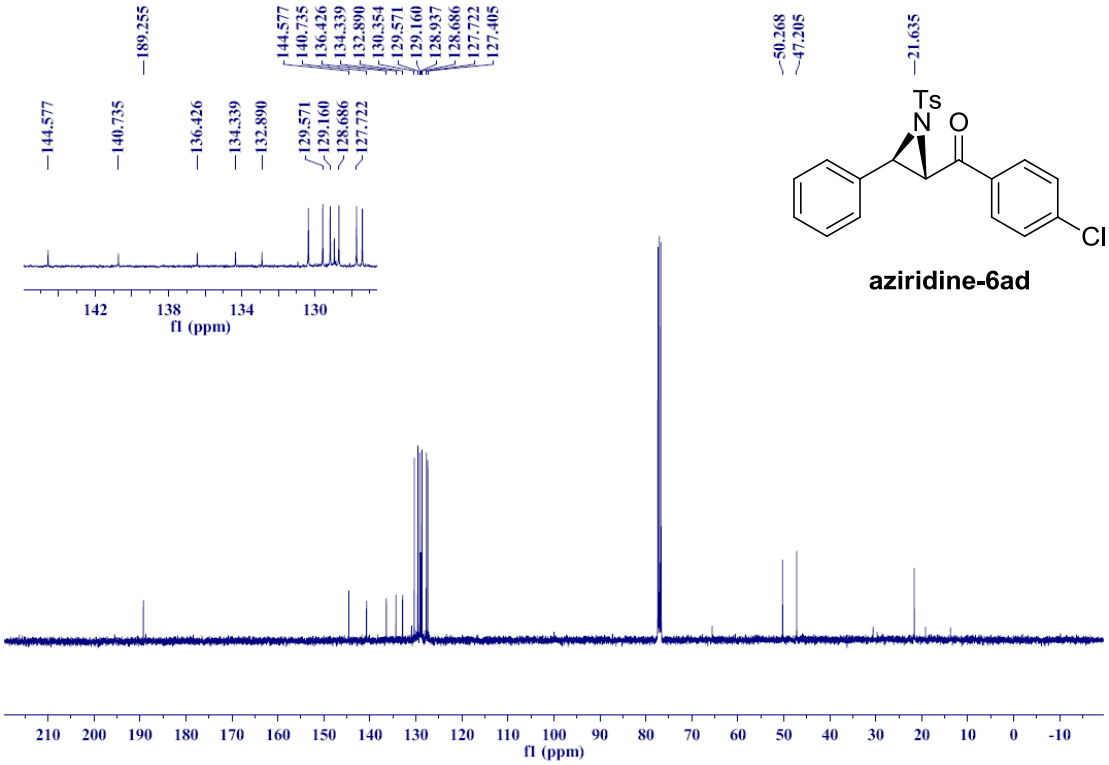
127.374
127.094
60.471
46.133
21.453
TsHN
O
Br
Cl
6ad
f1 (ppm)
f1 (ppm)


7.929
7.908
7.643
7.622
7.387
7.366
7.252
7.172
7.151
4.456
4.445
4.115
4.105
2.332
0.000
Ts
N
O
Cl
aziridine-6ad
1.96
2.01
1.97
4.99
2.04
0.97
1.00
2.96
f1 (ppm)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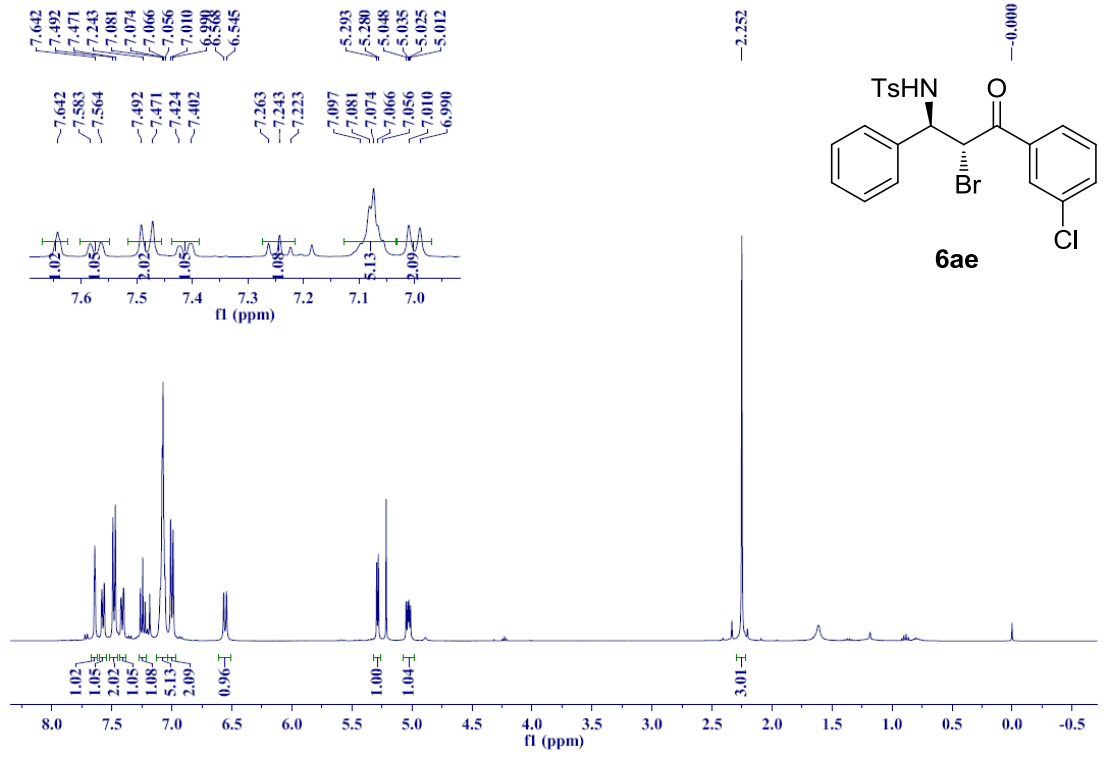
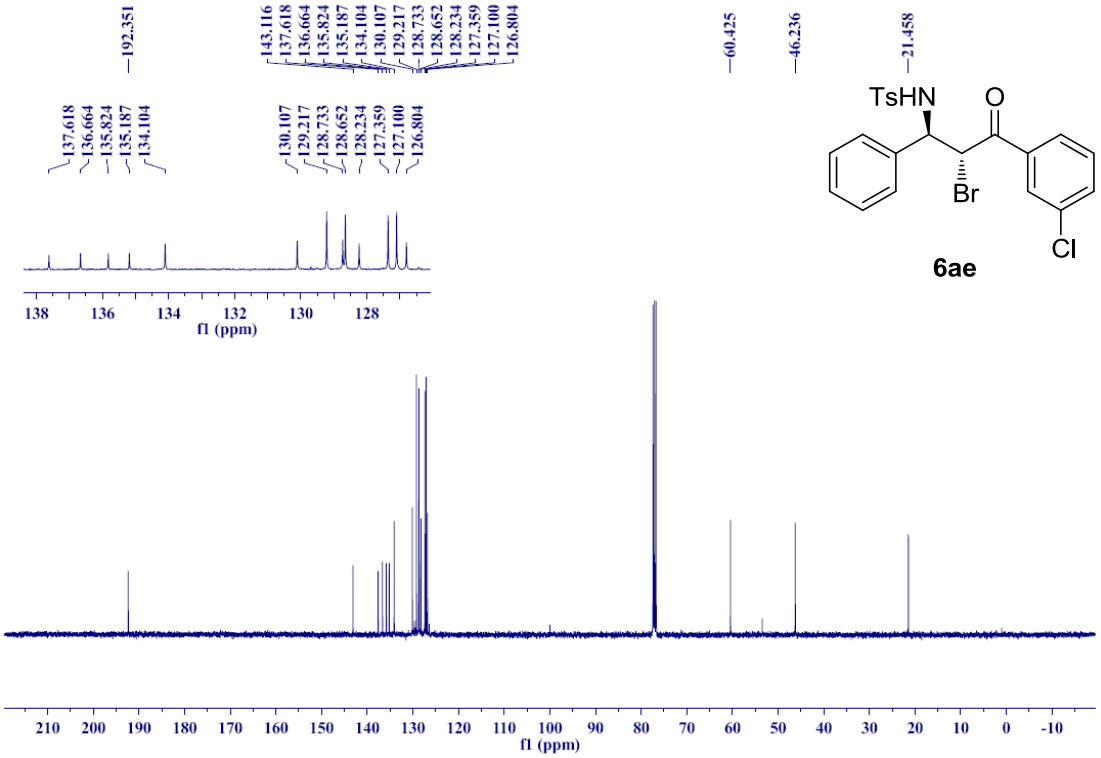
140.735
136.426
134.339
132.890
130.354
129.571
129.160
128.937
128.686
127.722
127.405
50.268
47.205
21.635
Ts
N
O
Cl
aziridine-6ad
f1 (ppm)


2.252
0.000
TsHN
O
Br
Cl
6ae
f1 (ppm)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137.618
136.664
135.824
135.187
134.104
130.107
129.217
128.733
128.652
128.234
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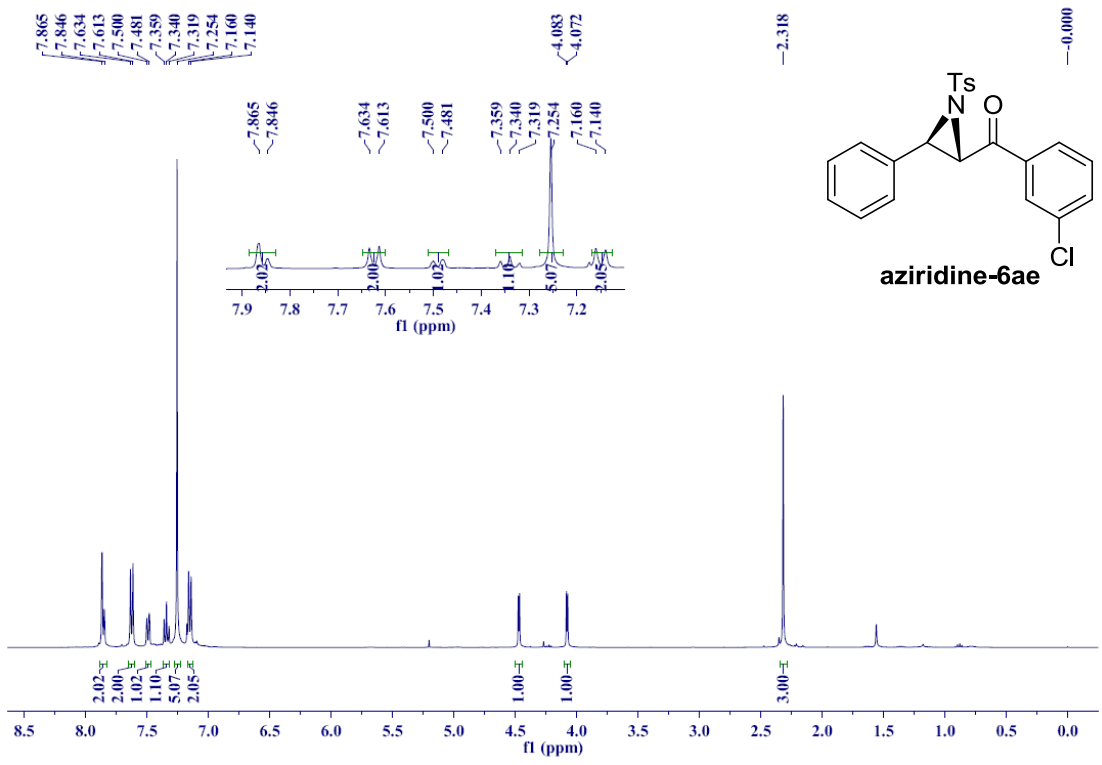
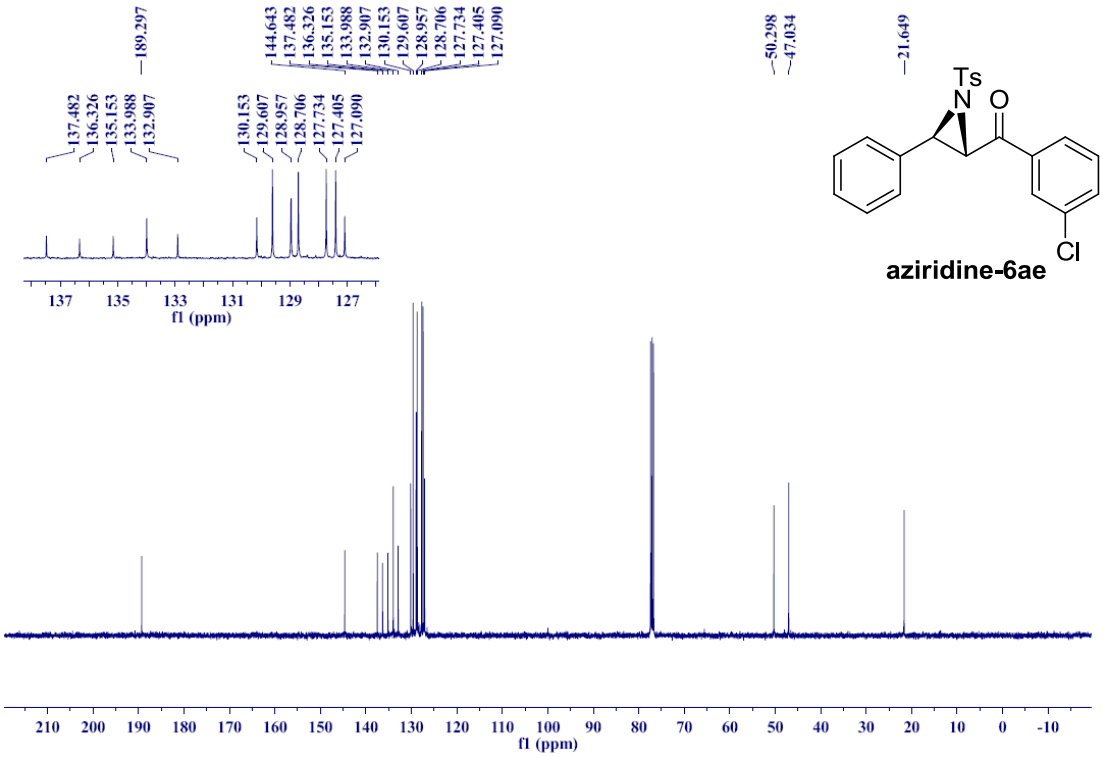
127.100
126.804
60.425
46.236
21.458
TsHN
O
Br
Cl
6ae
f1 (ppm)


7.865
7.846
7.634
7.613
7.500
7.481
7.359
7.340
7.319
7.254
7.160
7.140
4.083
4.072
2.318
0.000
Ts
N
O
Cl
aziridine-6ae
2.02
2.00
1.02
1.10
5.07
2.05
1.00
1.00
3.00
f1 (ppm)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133.988
132.907
130.153
129.607
128.957
128.706
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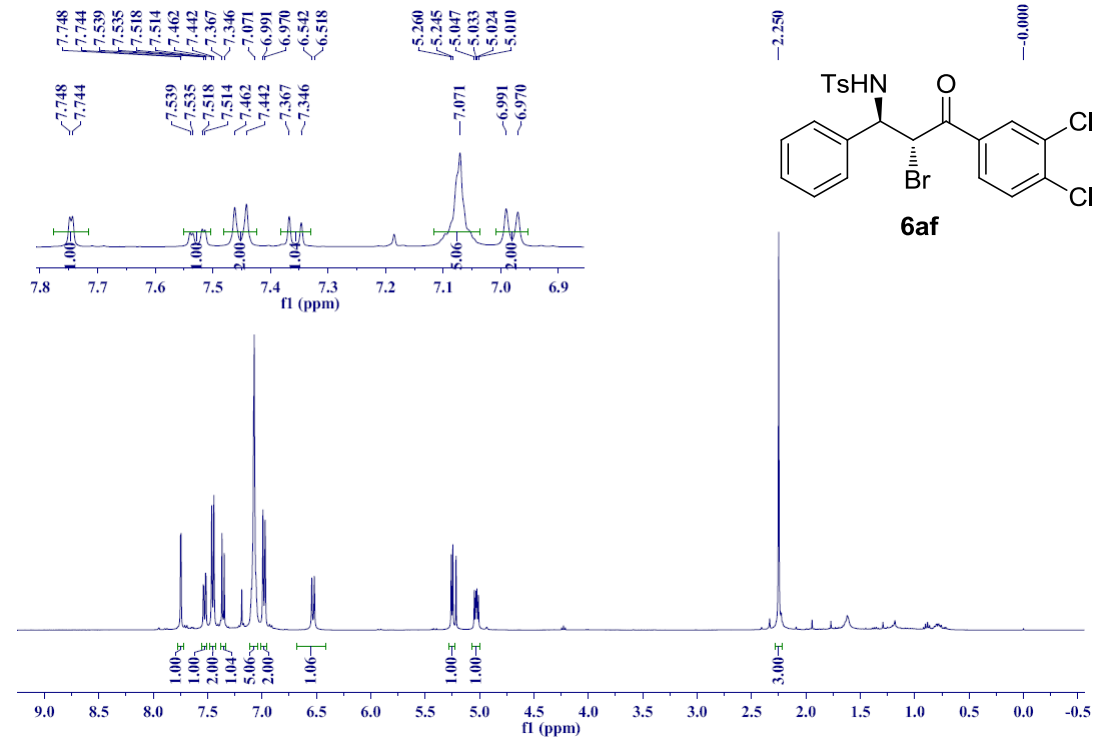
127.405
127.090
50.298
47.034
21.649
Ts
N
O
Cl
aziridine-6ae
f1 (ppm)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7.514
7.462
7.442
7.367
7.346
7.071
6.991
6.970
6.542
6.518
5.260
5.245
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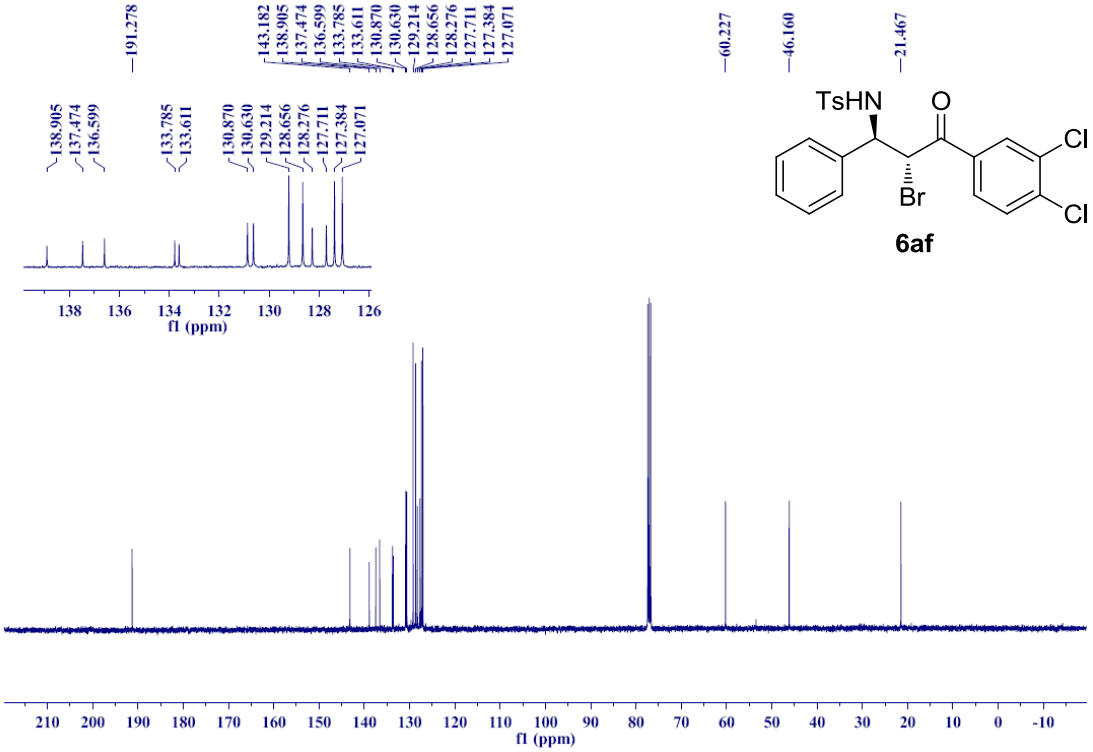
5.033
5.024
5.010
2.250
0.000
TsHN
O
Cl
Br
Cl
6af
f1 (ppm)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130.870
130.630
129.214
128.656
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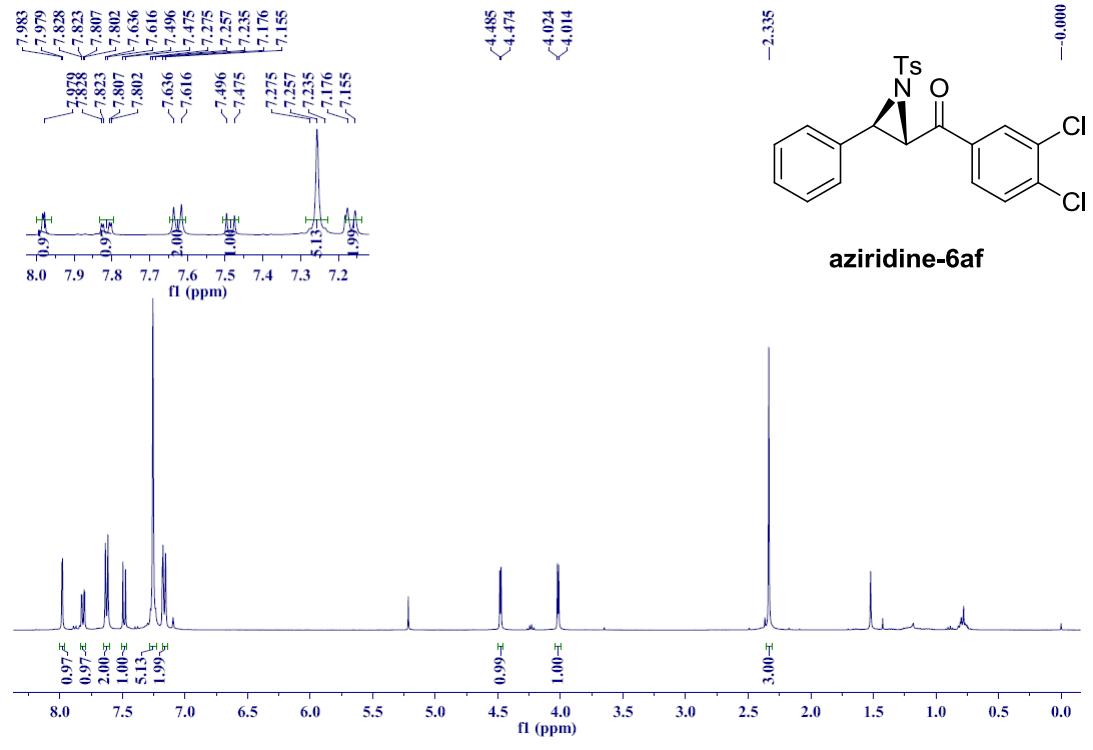
127.711
127.384
127.071
60.227
46.160
21.467
TsHN
O
Cl
Br
Cl
6af
f1 (ppm)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7.828
7.823
7.807
7.802
7.636
7.616
7.496
7.475
7.275
7.257
7.235
7.176
7.155
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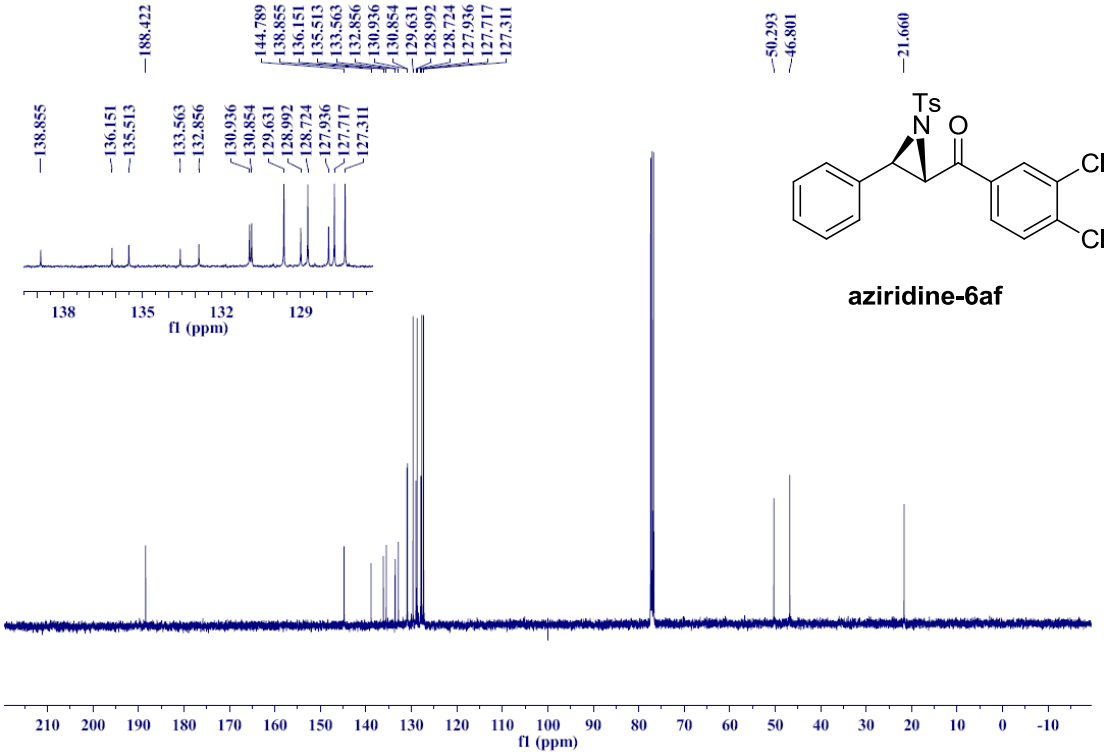
4.474
4.024
4.014
2.335
0.000
Ts
N
O
Cl
Cl
aziridine-6af
f1 (ppm)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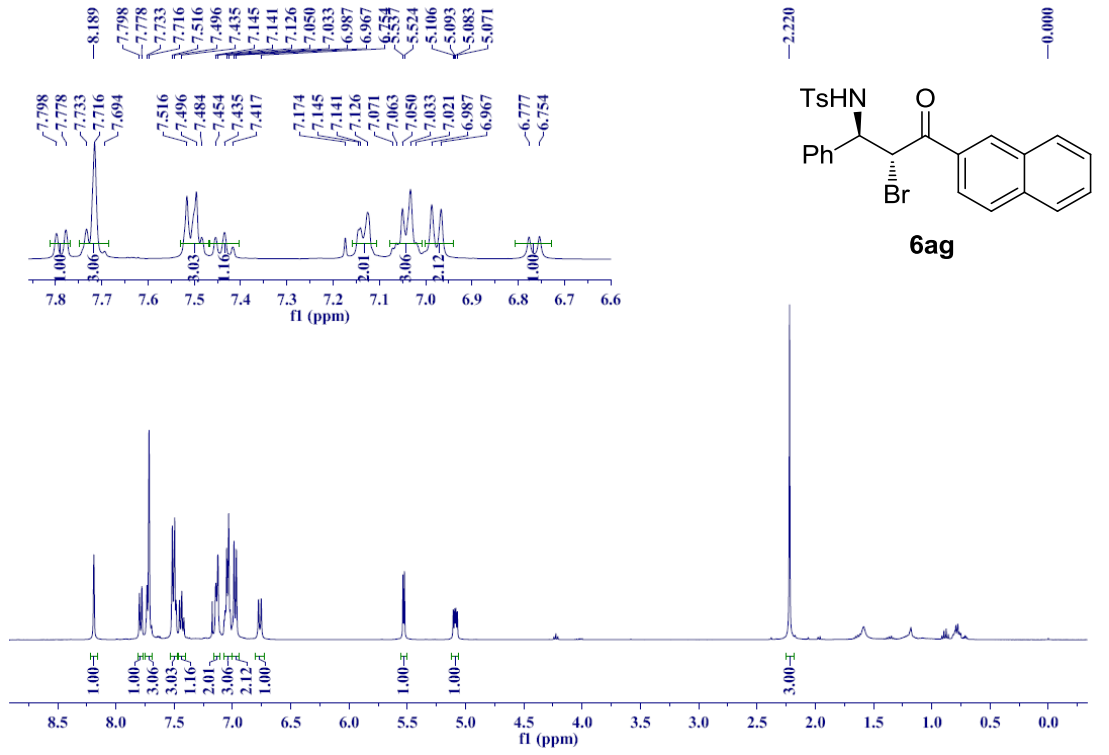
136.151
135.513
133.563
132.856
130.936
130.854
129.631
128.992
128.724
127.936
127.717
127.311
50.293
46.801
21.660
Ts
N
O
Cl
Cl
aziridine-6af
f1 (ppm)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7.496
7.435
7.145
7.141
7.126
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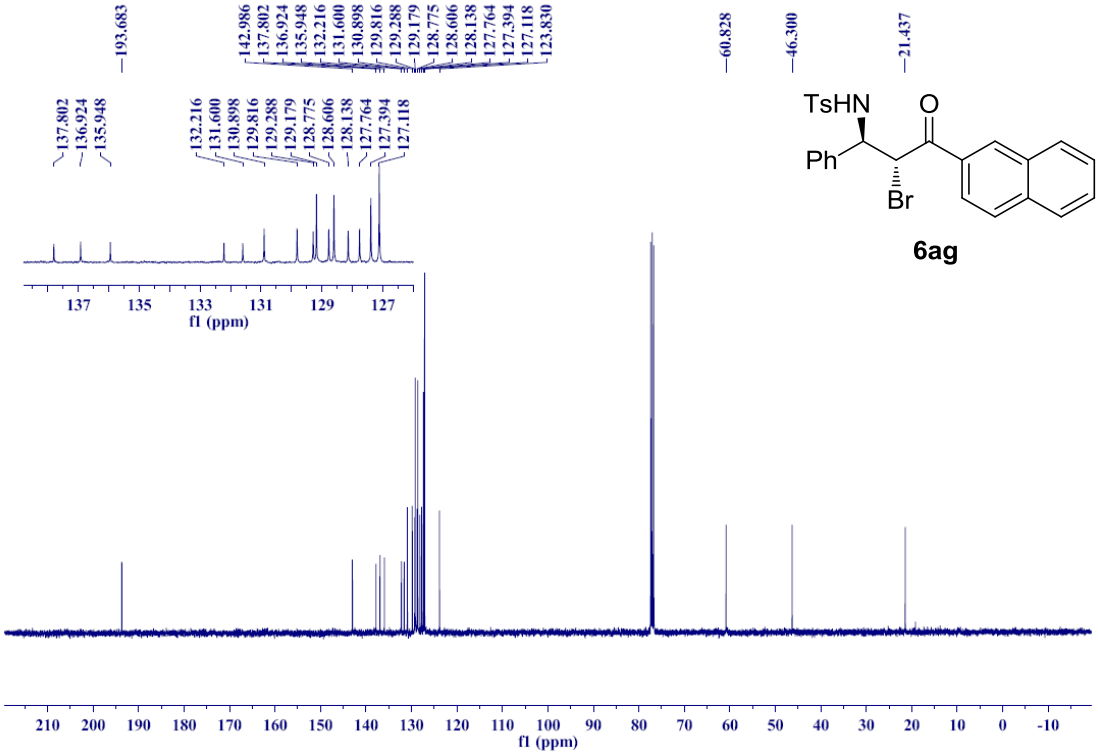
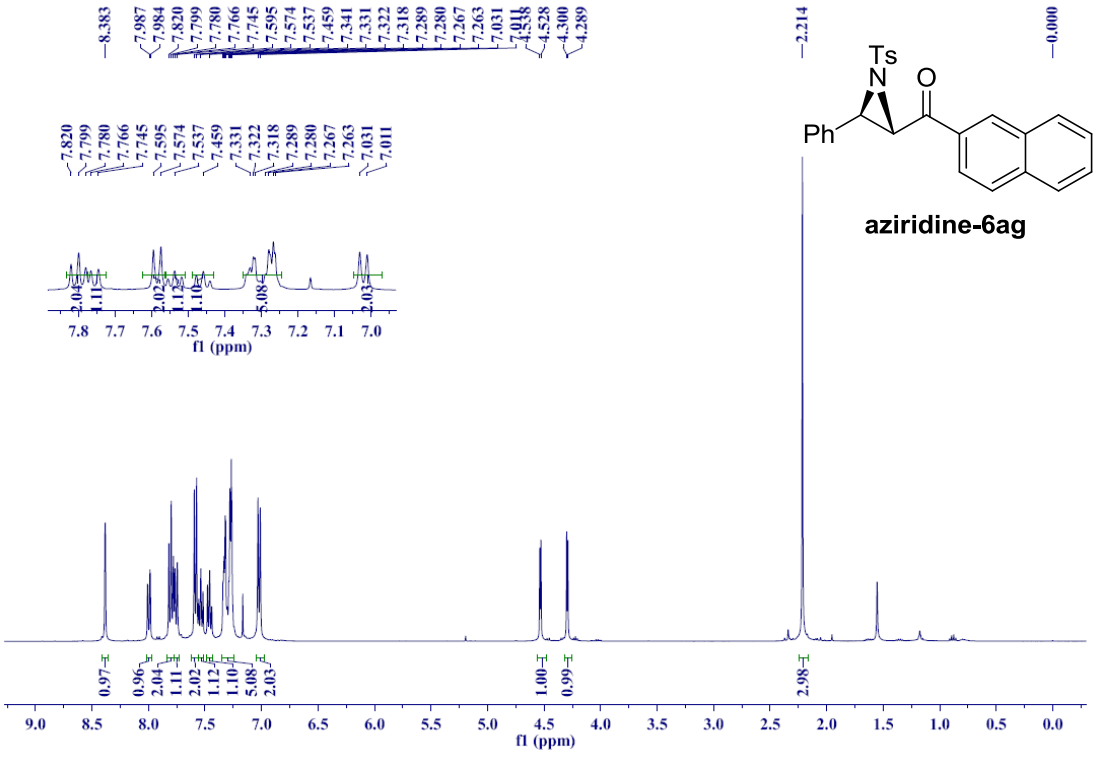
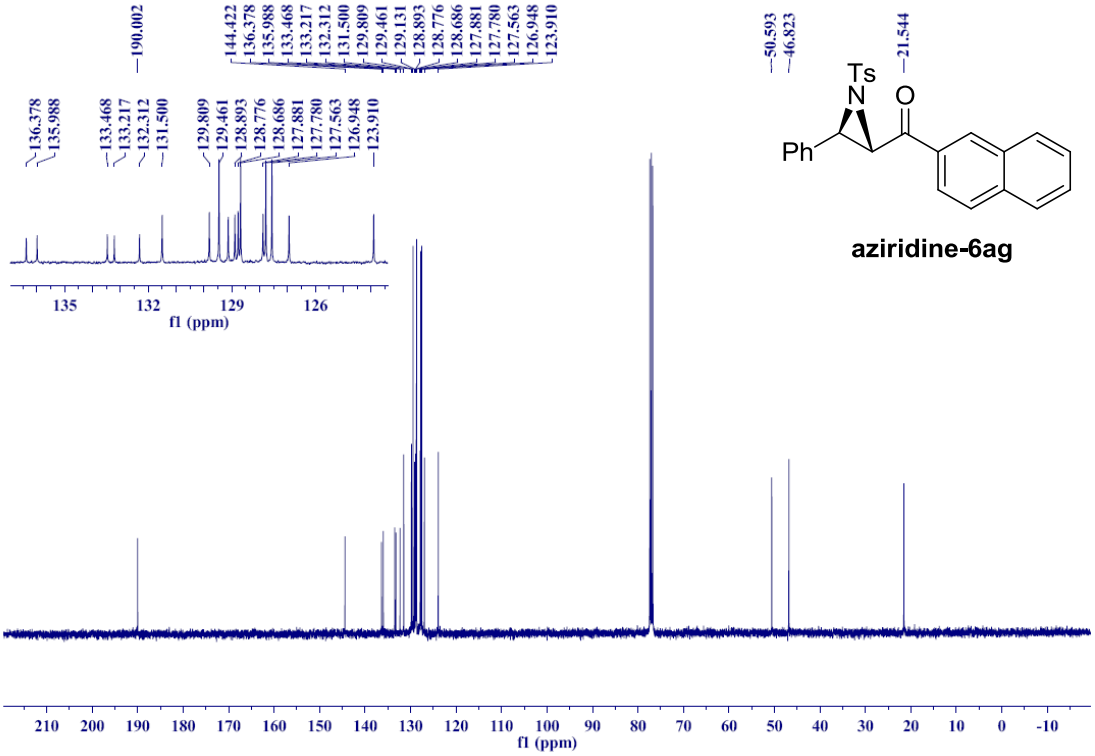
7.033
6.987
6.967
5.524
5.106
5.093
5.083
5.071
2.220
0.000
TsHN
O
Ph
Br
6ag
f1 (ppm)



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128.686
127.881
127.780
127.563
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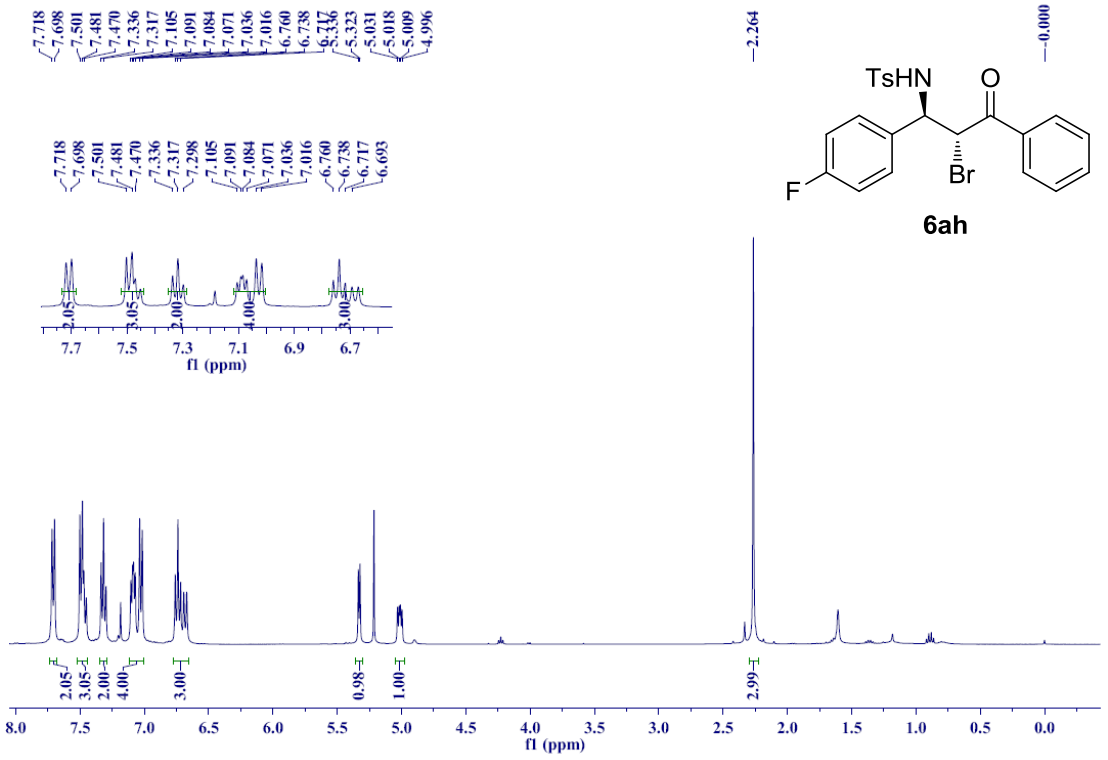
123.910
50.593
46.823
21.544
Ts
N
O
Ph
aziridine-6ag
f1 (ppm)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7.470
7.336
7.317
7.105
7.091
7.084
7.071
7.036
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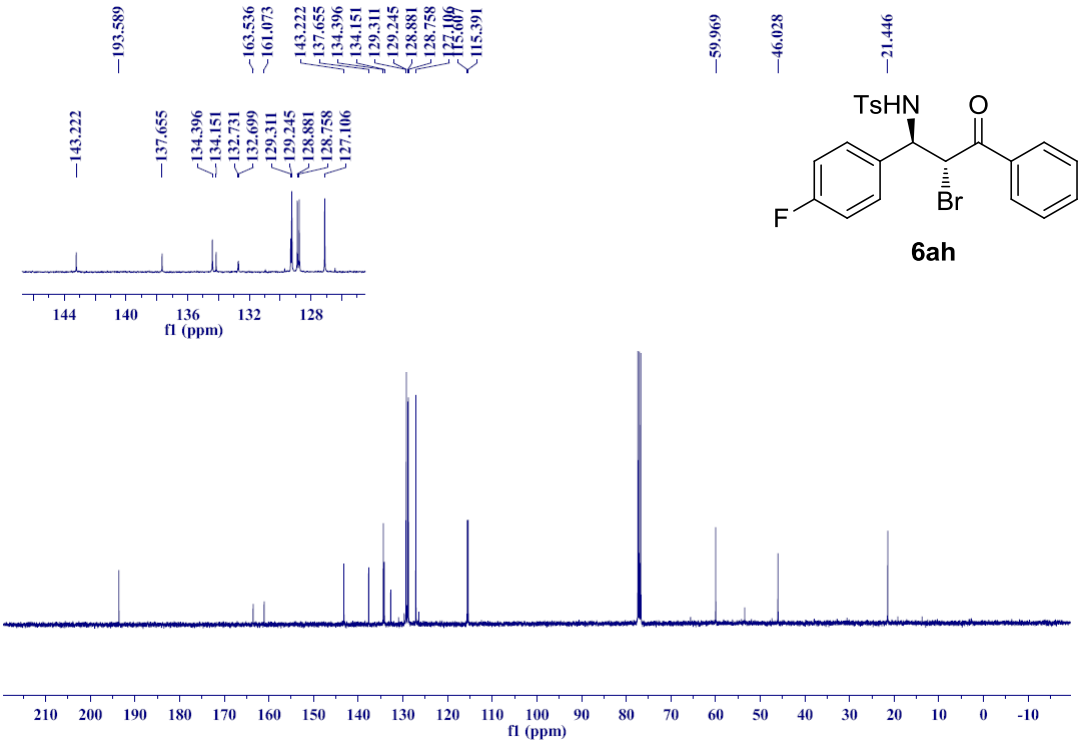
6.760
6.738
6.717
6.693
5.323
5.031
5.018
5.009
4.996
2.264
0.000
TsHN
O
Br
F
6ah
2.05
3.05
2.00
4.00
3.00
0.98
1.00
2.99
f1 (ppm)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161.073
143.222
137.655
134.396
134.151
129.311
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128.758
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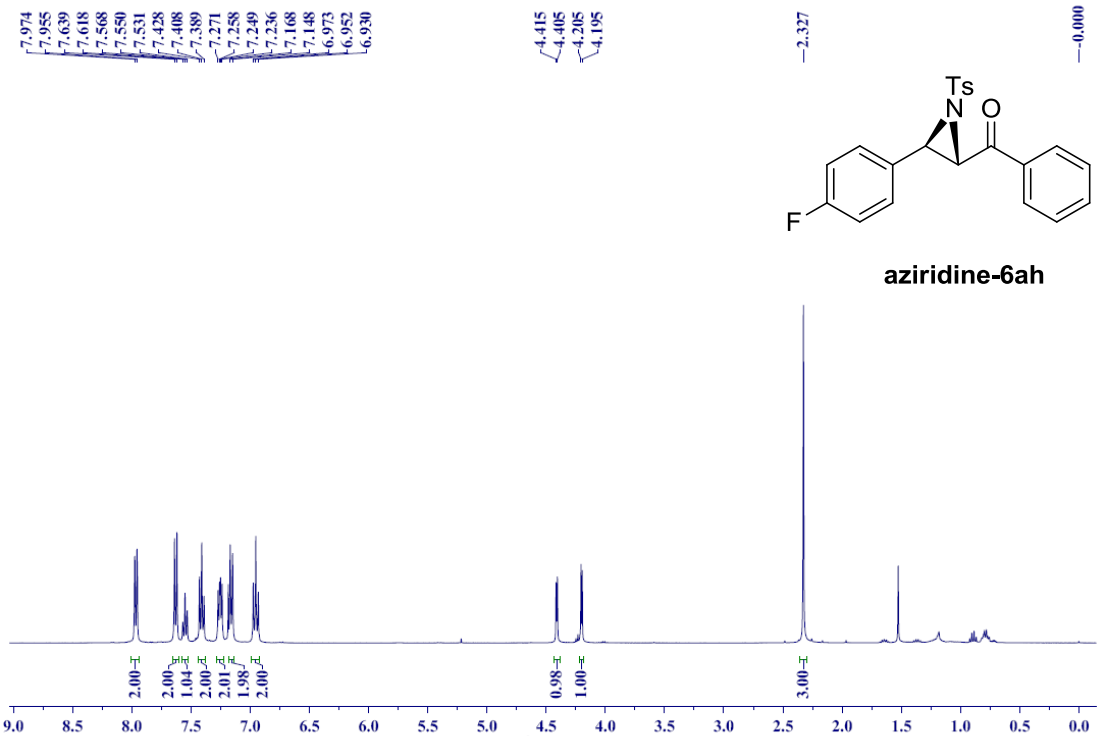
21.446
TsHN
O
Br
F
6ah
f1 (ppm)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7.428
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7.389
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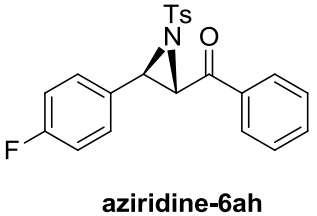
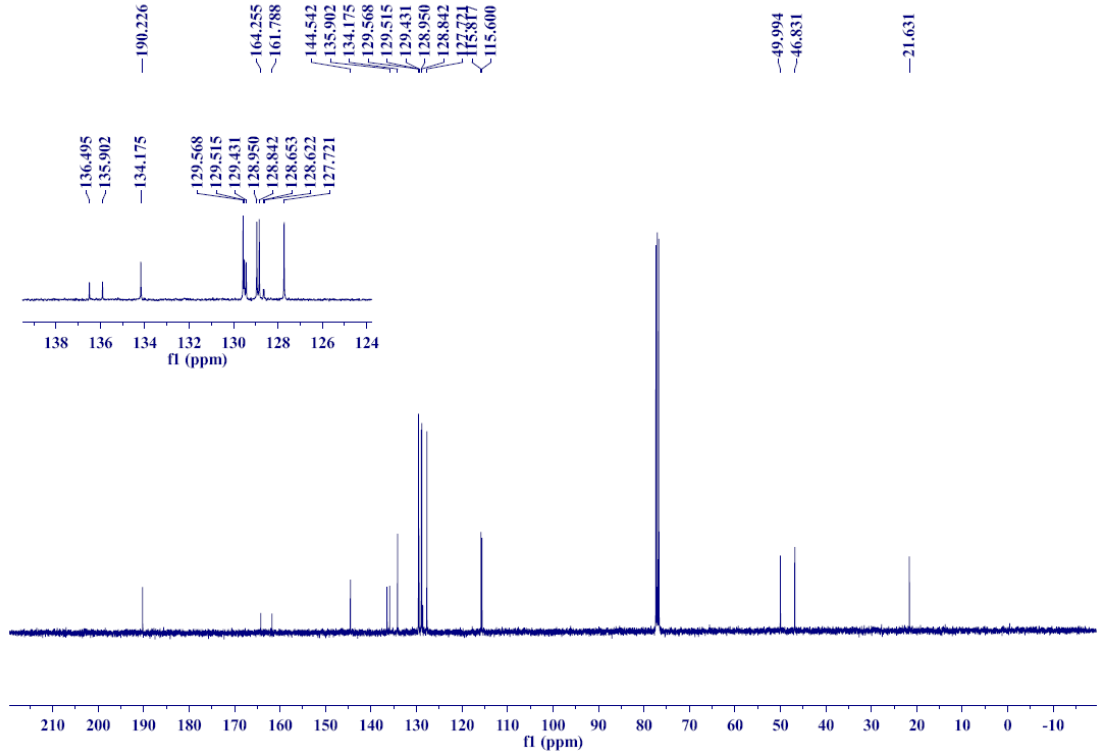
7.249
7.236
7.168
7.148
6.973
6.952
6.930
4.415
4.405
4.205
4.195
2.327
0.000
Ts
N
O
F
aziridine-6ah
f1 (ppm)


Ts
N
O
F
aziridine-6ah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129.515
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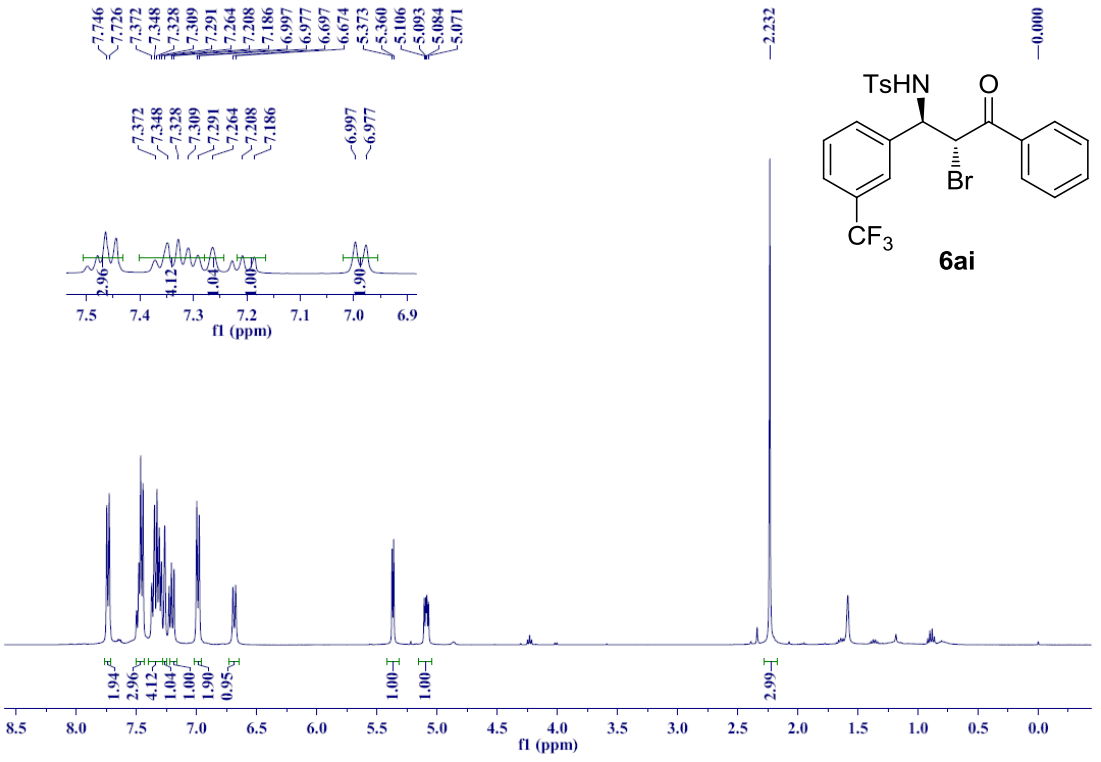
128.842
128.653
128.622
127.721
115.600
49.994
46.831
21.631
136.495
135.902
134.175
129.568
129.515
129.431
128.950
128.842
128.653
128.622
127.721
138 136 134 132 130 128 126 124
f1 (ppm)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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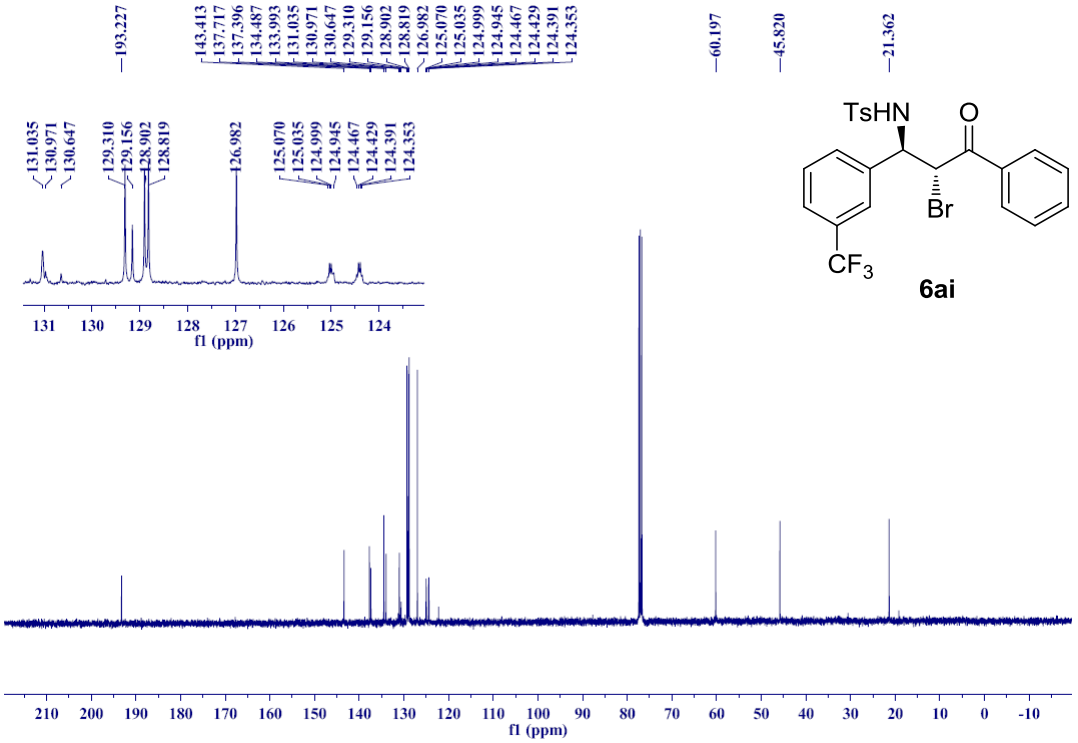
7.291
7.264
7.208
7.186
6.997
6.977
6.697
6.674
5.373
5.360
5.106
5.093
5.084
5.071
2.232
0.000
TsHN
O
Br
CF3
6ai
2.96
4.12
1.04
1.00
1.90
7.5 7.4 7.3 7.2 7.1 7.0 6.9
f1 (ppm)
1.94
2.96
4.12
1.04
1.00
1.90
0.95
1.00
1.00
2.99
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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137.396
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133.993
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129.310
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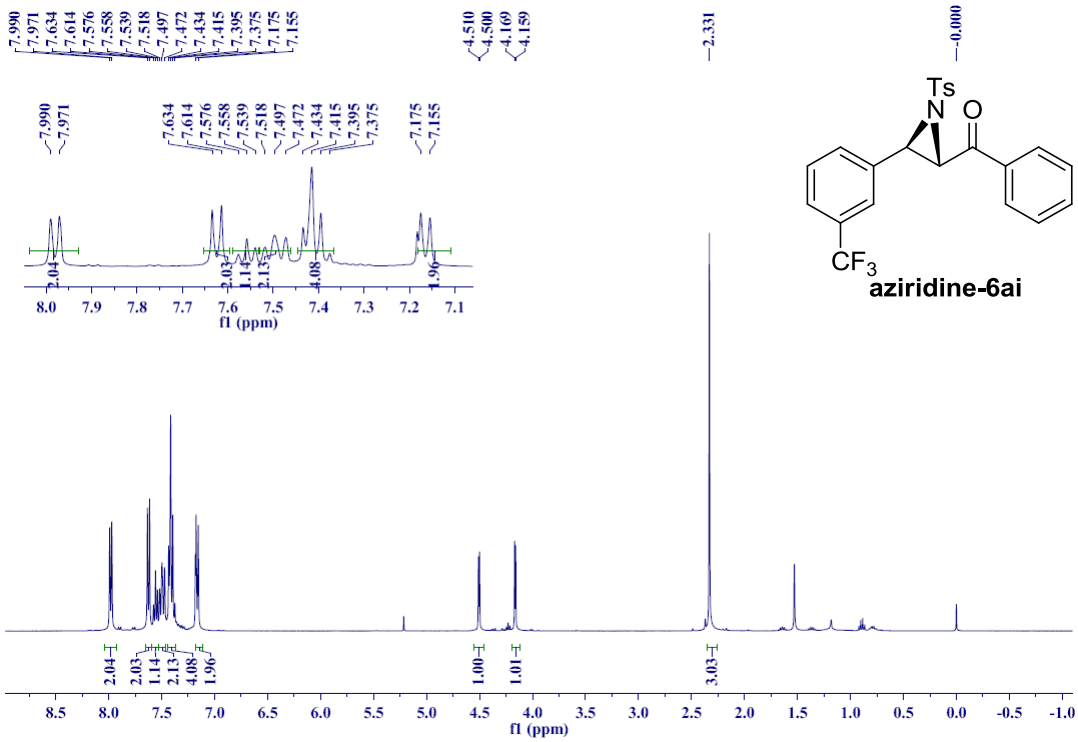
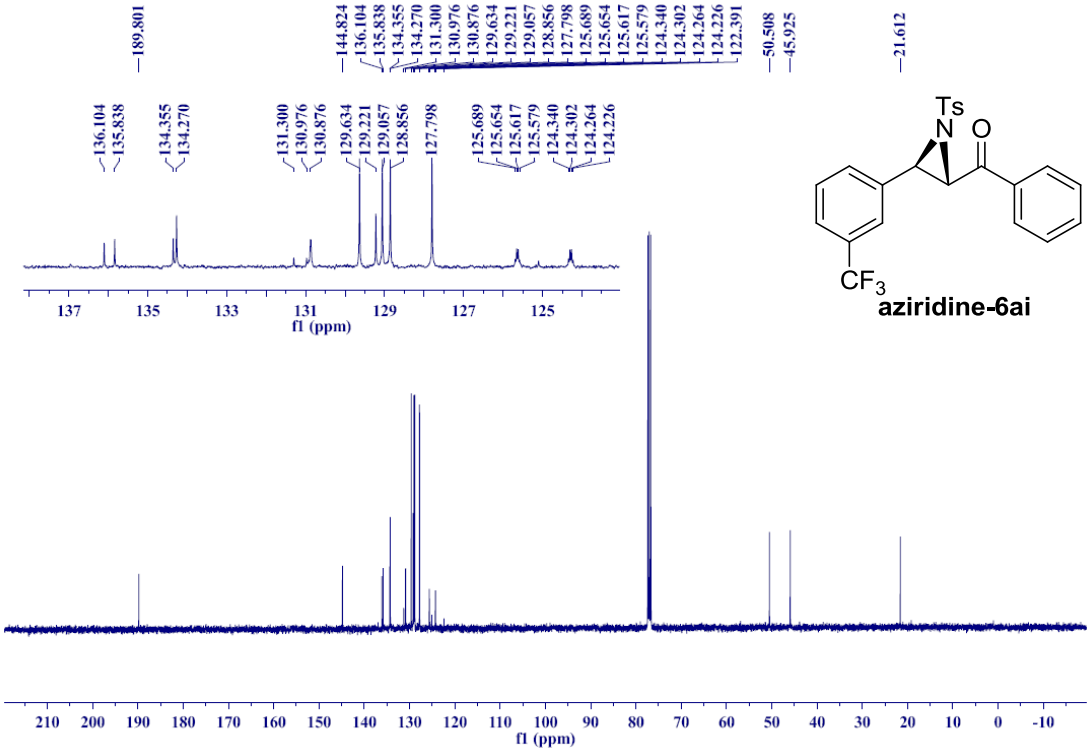
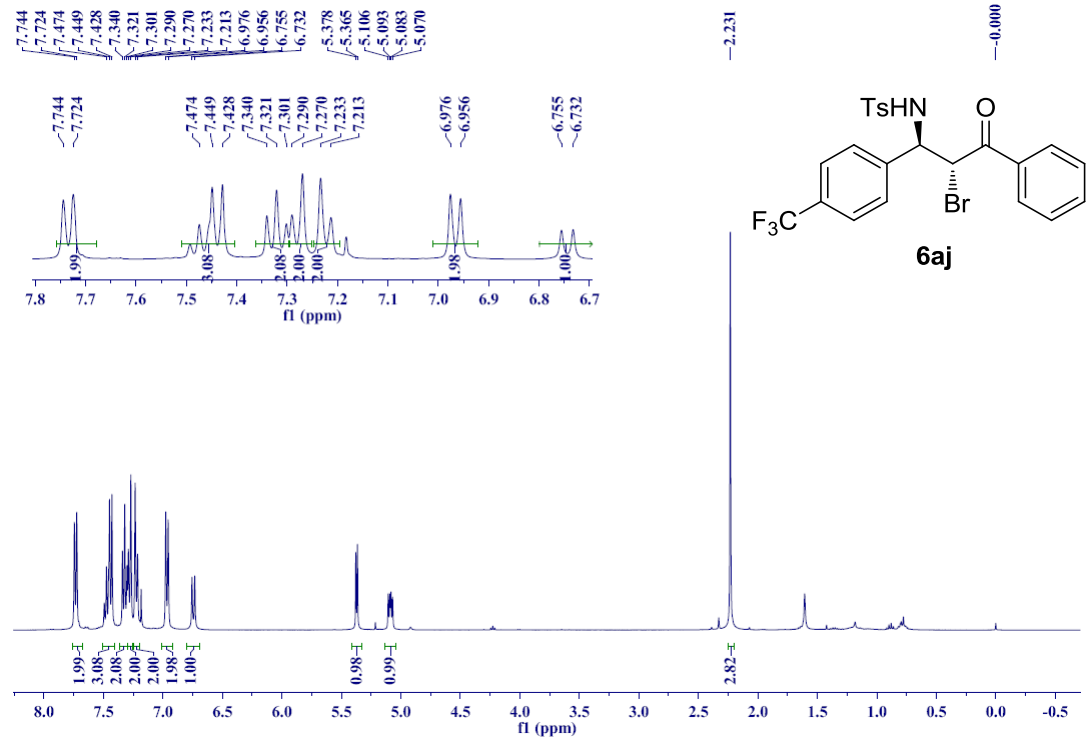
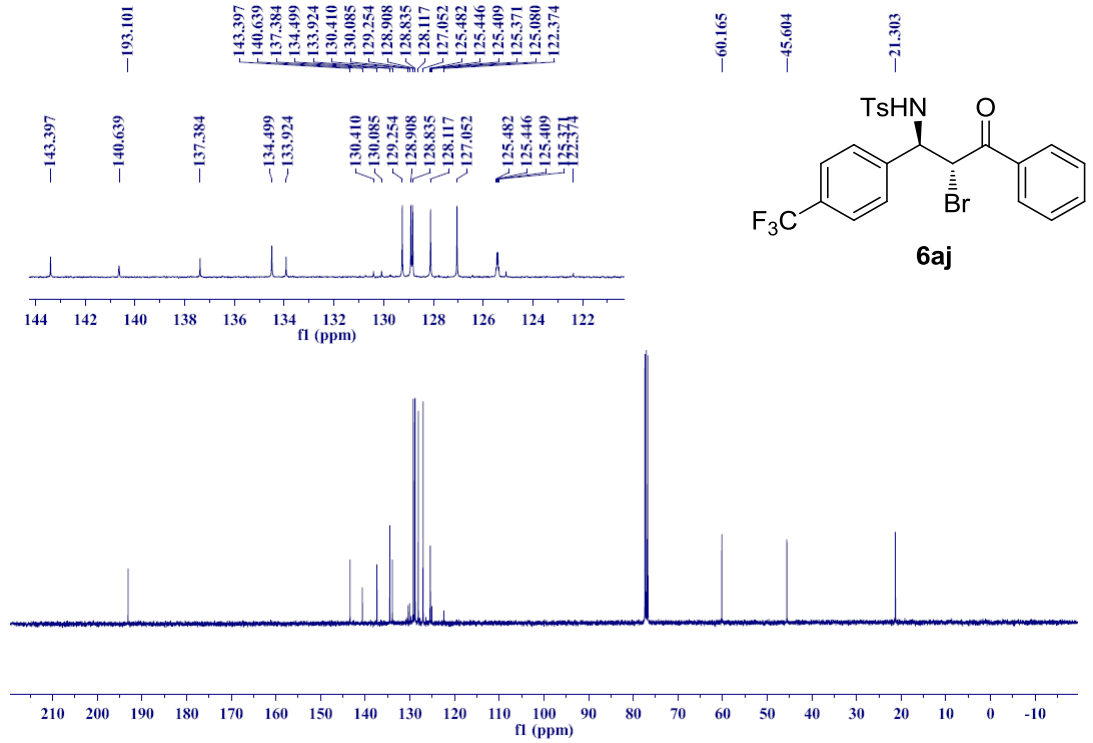
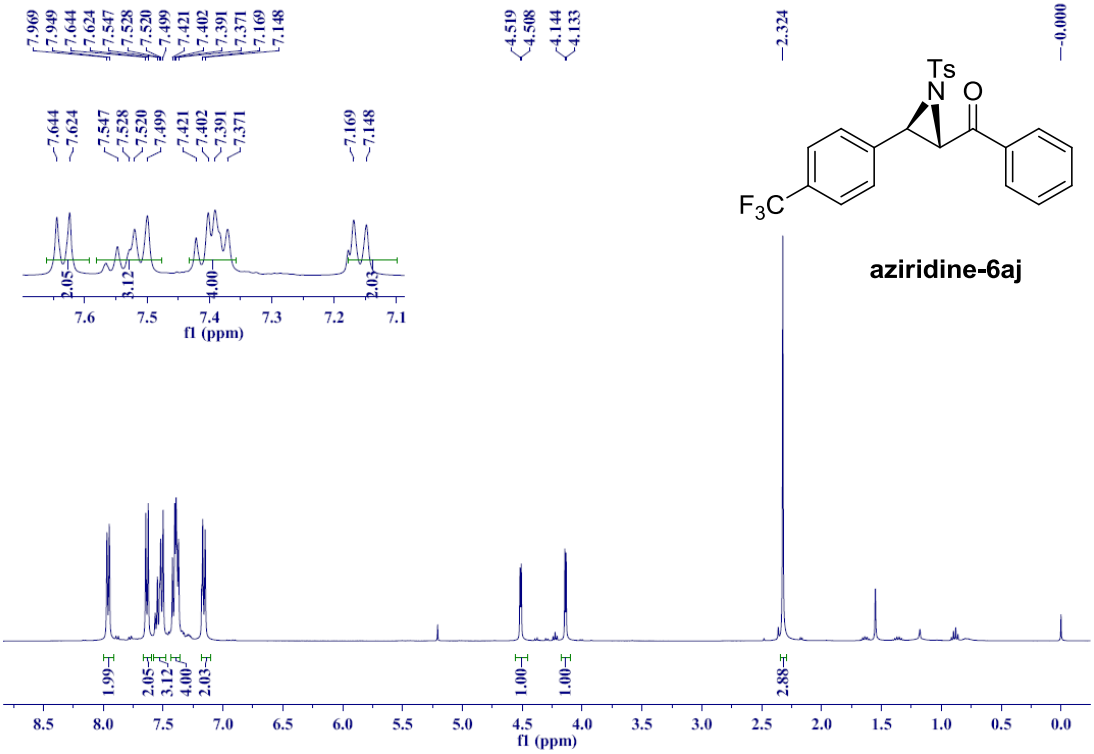
125.070
125.035
124.999
124.945
124.467
124.429
124.391
124.353
60.197
45.820
21.362
TsHN
O
Br
CF3
6ai
f1 (ppm)

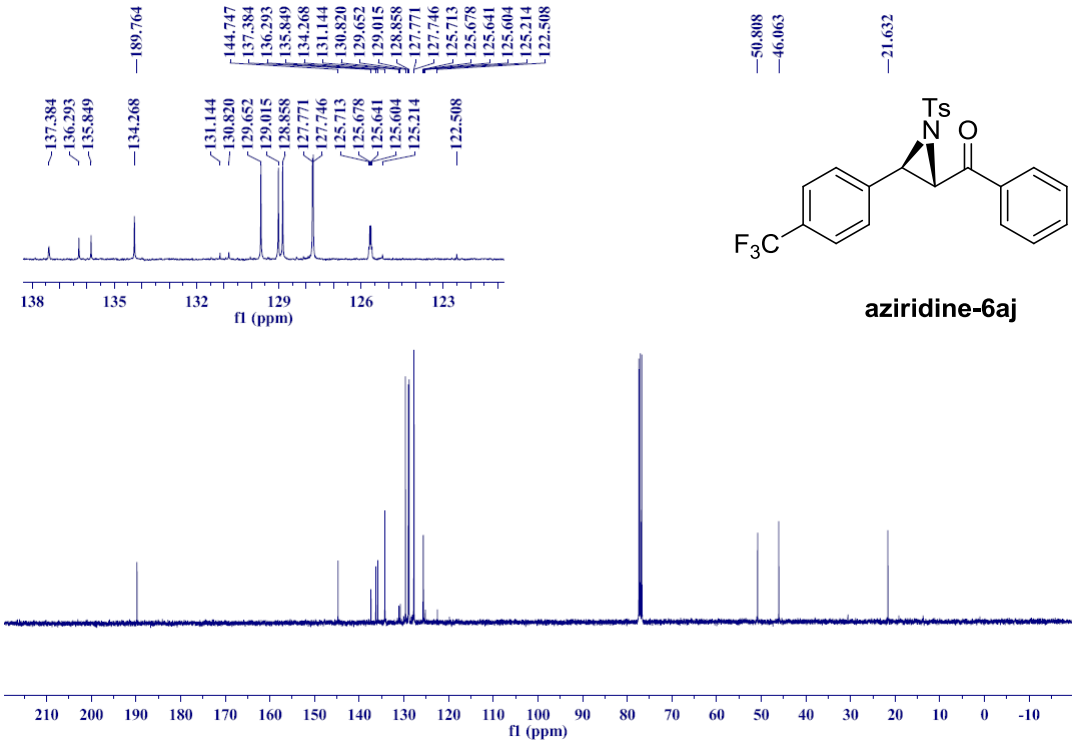

7.990
7.971
7.634
7.614
7.576
7.558
7.539
7.518
7.497
7.472
7.434
7.415
7.395
7.375
7.175
7.155
4.510
4.500
4.169
4.159
2.331
0.000
Ts
N
O
CF3
aziridine-6ai
2.04
2.03
1.14
2.13
4.08
1.96
1.00
1.01
3.03
f1 (ppm)

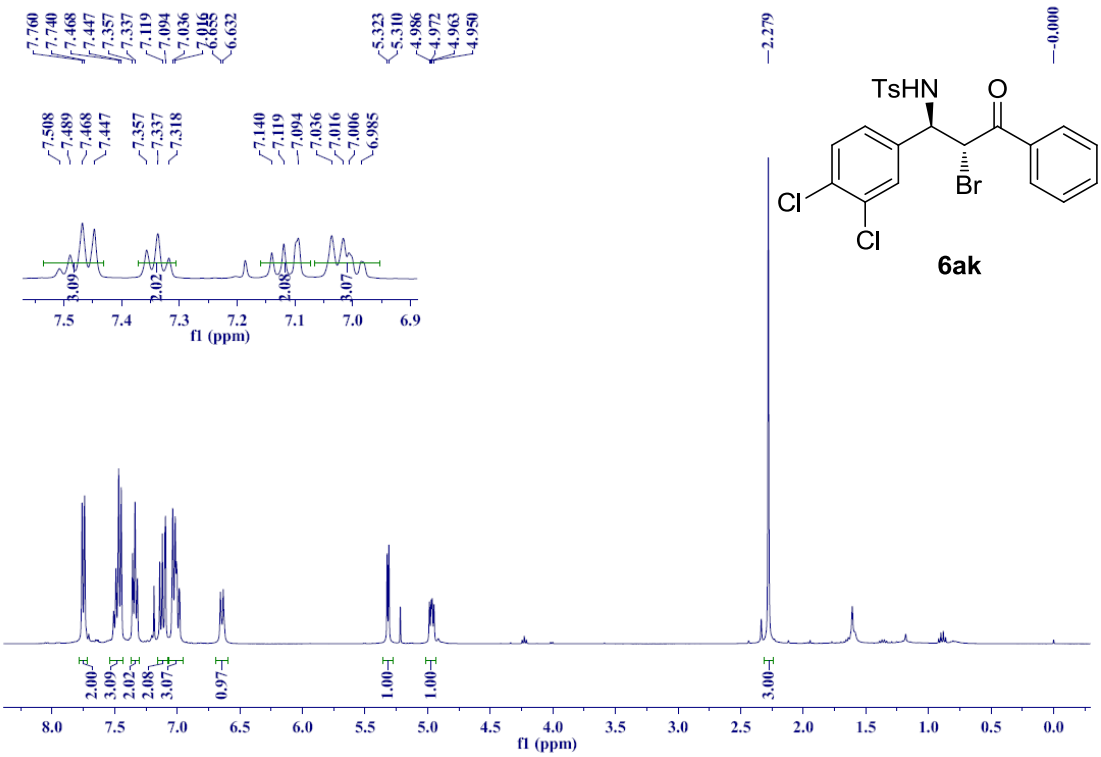

189.801
144.824
136.104
135.838
134.355
134.270
131.300
130.976
130.876
129.634
129.221
129.057
128.856
127.798
125.689
125.654
125.617
125.579
124.340
124.302
124.264
124.226
122.391
50.508
45.925
21.612
Ts
N
O
CF3
aziridine-6ai
f1 (ppm)

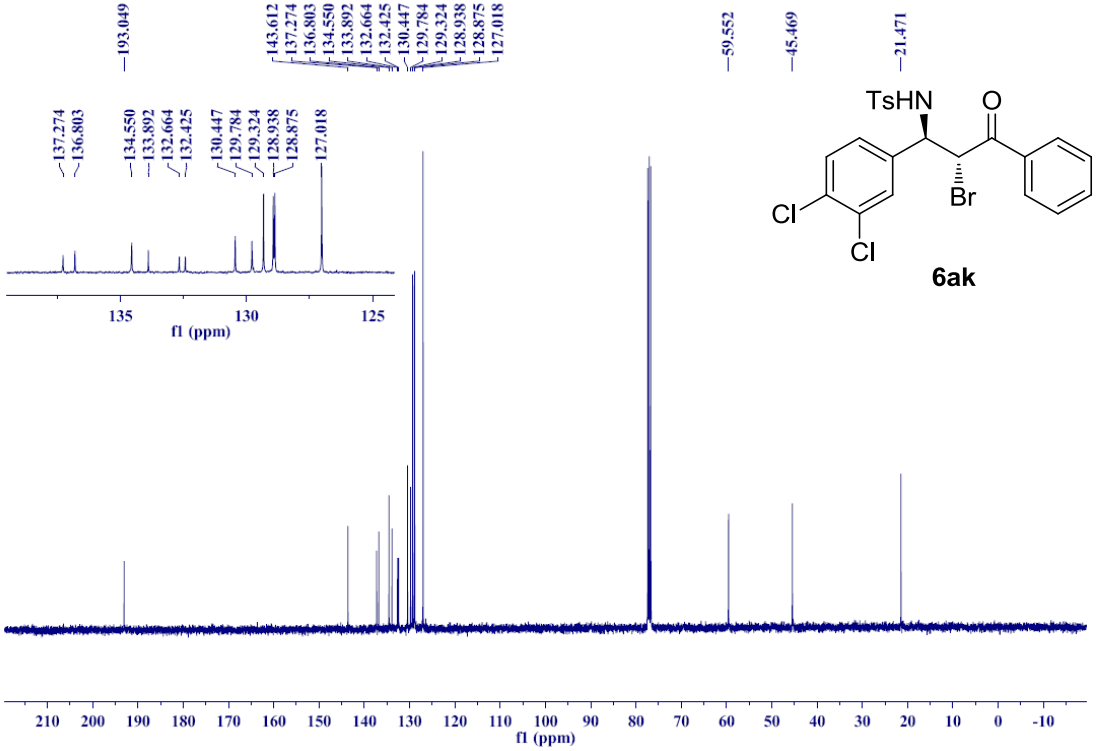

7.744
7.724
7.474
7.449
7.428
7.340
7.321
7.301
7.290
7.270
7.233
7.213
6.976
6.956
6.755
6.732
5.378
5.365
5.106
5.093
5.083
5.070
2.231
0.000
TsHN
O
Br
F3C
6aj
1.99
3.08
2.08
2.00
2.00
1.98
1.00
0.98
0.99
2.82
f1 (ppm)

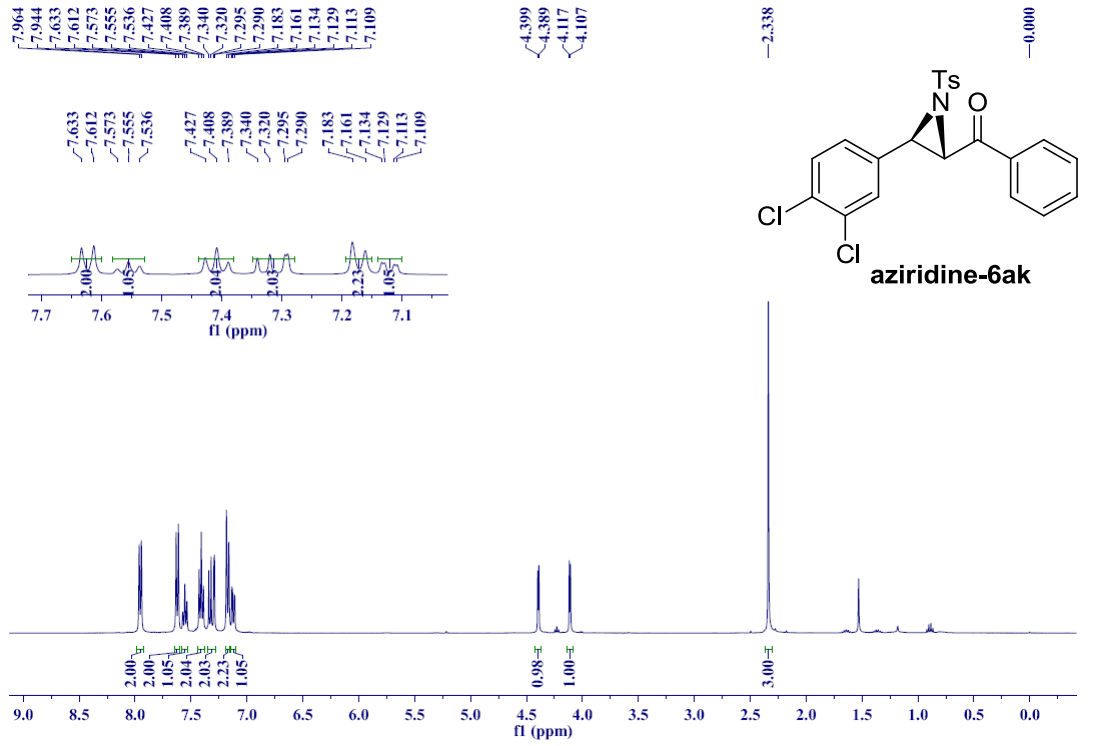

193.101
143.397
140.639
137.384
134.499
133.924
130.410
130.085
129.254
128.908
128.835
128.117
127.052
125.482
125.446
125.409
125.371
125.080
122.374
60.165
45.604
21.303
TsHN
O
Br
F3C
6aj
f1 (ppm)

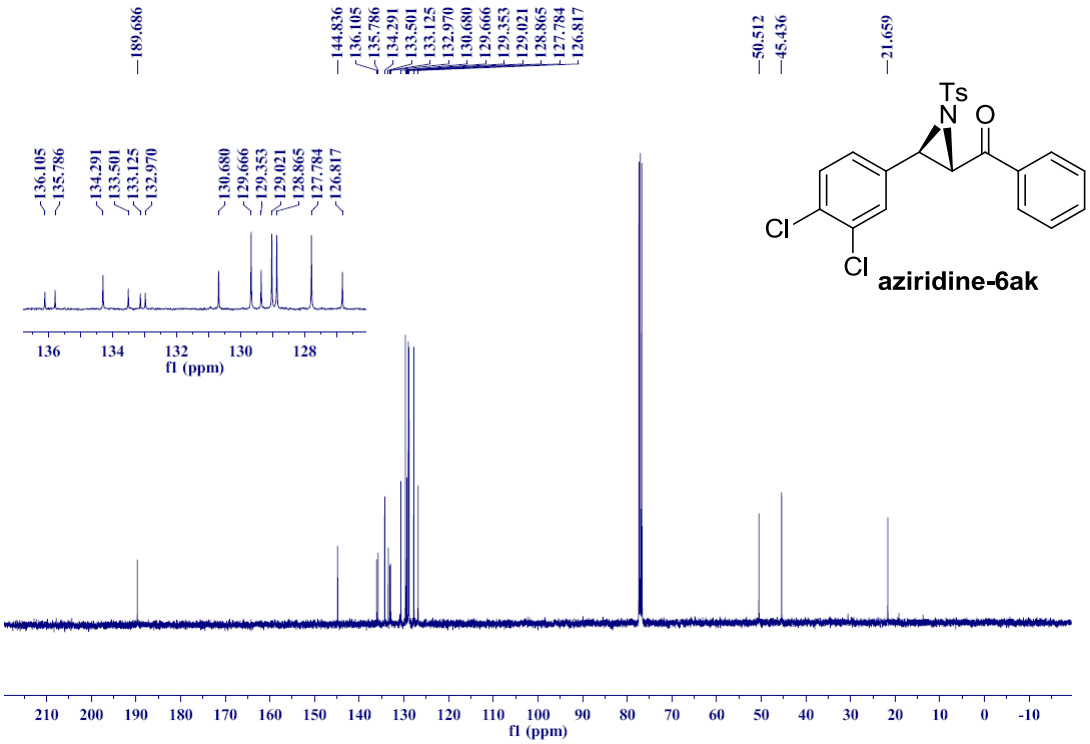

7.969
7.949
7.644
7.624
7.547
7.528
7.520
7.499
7.421
7.402
7.391
7.371
7.169
7.148
4.519
4.508
4.144
4.133
2.324
-0.000
Ts
N
O
F3C
aziridine-6aj
1.99
2.05
3.12
4.00
2.03
1.00
1.00
2.88
f1 (ppm)


189.764
144.747
137.384
136.293
135.849
134.268
131.144
130.820
129.652
129.015
128.858
127.771
127.746
125.713
125.678
125.641
125.604
125.214
122.508
50.808
46.063
21.632
Ts
N
O
F3C
aziridine-6aj
f1 (ppm)


7.760
7.740
7.508
7.489
7.468
7.447
7.357
7.337
7.318
7.140
7.119
7.094
7.036
7.016
7.006
6.985
6.632
5.323
5.310
4.986
4.972
4.963
4.950
2.279
0.000
TsHN
O
Br
Cl
Cl
6ak
f1 (ppm)


193.049
143.612
137.274
136.803
134.550
133.892
132.664
132.425
130.447
129.784
129.324
128.938
128.875
127.018
59.552
45.469
21.471
TsHN
O
Br
Cl
Cl
6ak
f1 (ppm)


7.964
7.944
7.633
7.612
7.573
7.555
7.536
7.427
7.408
7.389
7.340
7.320
7.295
7.290
7.183
7.161
7.134
7.129
7.113
7.109
4.399
4.389
4.117
4.107
2.338
0.000
Ts
N
O
Cl
Cl
aziridine-6ak
f1 (ppm)


189.686
144.836
136.105
135.786
134.291
133.501
133.125
132.970
130.680
129.666
129.353
129.021
128.865
127.784
126.817
50.512
45.436
21.659
Ts
N
O
Cl
Cl
aziridine-6ak
f1 (ppm)
f1 (ppm)