Supporting Information

Chiral Bifunctional Bisphosphine Enabled Enantioselective Tandem Michael Addition of Tryptamine-Derived Oxindoles to Ynones

Tiantian Cong,[a] Huamin Wang,[a] Xiuzheng Li,[c] Hai-Hong Wu*[a] and Junliang Zhang*[ab]

Table of Contents

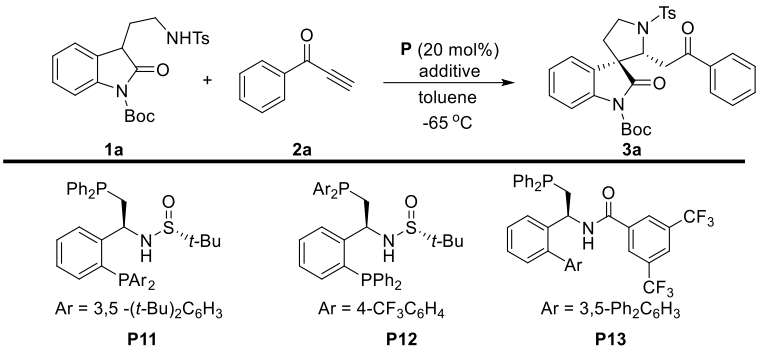
1. General Information

Unless otherwise noted, all reactions were carried out under a nitrogen atmosphere; materials obtained from commercial suppliers were used directly without further purification. The $[\alpha]_D$ was recorded using PolAAr 3005 High Accuracy Polarimeter. ^1H NMR spectra and ^{13}C NMR spectra were recorded on a Bruker 300 MHz, 400 MHz or 500 MHz spectrometer in chloroform-d_3. ^{19}F NMR spectra were recorded on a Bruker 300 MHz or 400 MHz spectrometer in chloroform-d_3. Chemical shifts (in ppm) were referenced to tetramethylsilane (δ = 0 ppm) in $CDCl_3$ as an internal standard. ^{13}C-NMR spectra were obtained by using the same NMR spectrometers and were calibrated with $CDCl_3$ (δ = 77.00 ppm). The data is being reported as (s = singlet, d = doublet, dd = doublet of doublet, t = triplet, m = multiplet or unresolved, br = broad signal, coupling constant(s) in Hz, integration).

Reactions were monitored by thin layer chromatography (TLC) using silicycle pre-coated silica gel plates. Flash column chromatography was performed on silica gel 60 (particle size 200-400 mesh ASTM, purchased from Yantai, China) and eluted with petroleum ether/ethyl acetate.

2. Optimization of reaction conditions

Table S1. The Screening of Ligands and Additives for the Model Reaction[a]



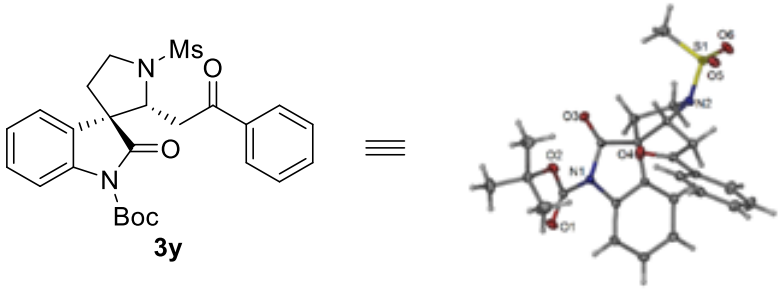
Entry	Cat.	Solvent	T (°C)	Additive	Yield[b] (%)	*ee*[c] (%)
1	**P11**	toluene	-65	-	68	23
2	**P12**	toluene	-65	-	78	3
3	**P13**	toluene	-65	-	75	81
7	**P5**	toluene	-65	4 Å MS	73	94
8	**P5**	toluene	-65	3 Å MS	65	81
9	**P5**	toluene	-65	5 Å MS	79	92
11	**P13**	toluene	-65	4 Å MS	75	85

[a]Unless otherwised noted, all reactions were performed with **1a** (0.1 mmol), **2a** (0.12 mmol), catalyst (0.02 mmol) in solvent (1 mL). [b]Isolated yields of major diastereomer. [c]Determined by HPLC analysis using a chiral stationary phase.

3. Typical Procedure for Enantioselective Tandem Michael Addition

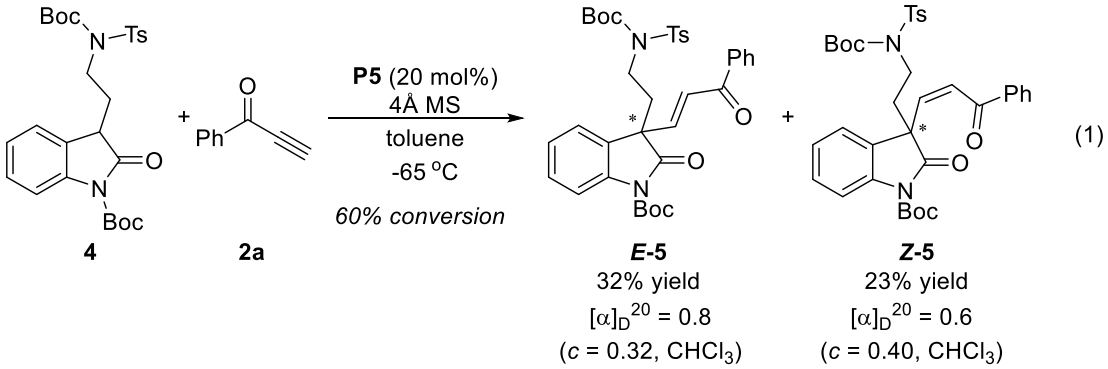
Dry toluene (1.0 mL) was added into a dry reaction tube with the mixture of oxindole **1** (0.10 mmol), chiral biphosphine catalyst **P5** (0.02 mmol) and activated 4 Å MS. After that, ynone **2** (0.12 mmol) were added and the mixture was stirred at -65 °C under dry N_2 until TLC showed the staring material was no longer consumed. The reaction mixture was directly purified by column chromatography on silica gel with EtOAc/petroleum ether to afford the addition product **3**.

4. Single Crystal X-ray Crystallography of 3y



3y

5. Control Experiments

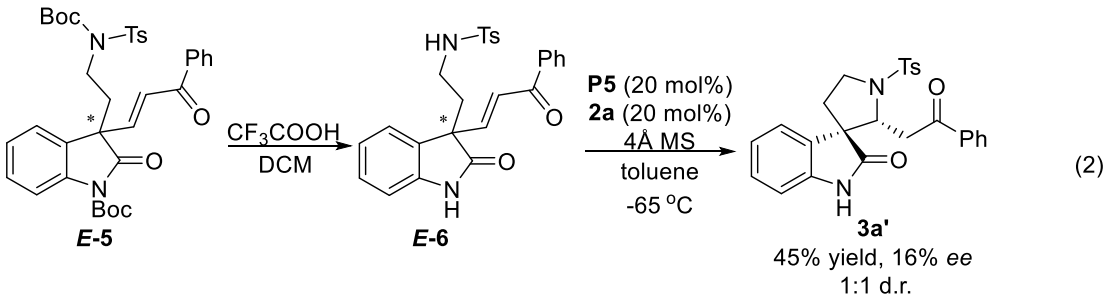


4 **2a**

***E*-5**
32% yield
$[\alpha]_D^{20}$ = 0.8
(*c* = 0.32, $CHCl_3$)

***Z*-5**
23% yield
$[\alpha]_D^{20}$ = 0.6
(*c* = 0.40, $CHCl_3$)

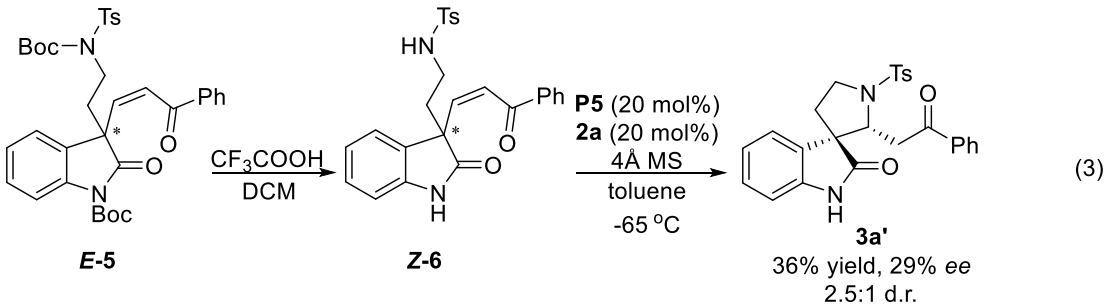
(1)



***E*-5** ***E*-6**

3a'
45% yield, 16% *ee*
1:1 d.r.

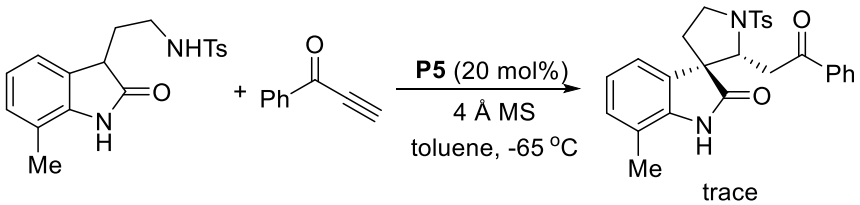
(2)



***E*-5** ***Z*-6**

3a'
36% yield, 29% *ee*
2.5:1 d.r.

(3)



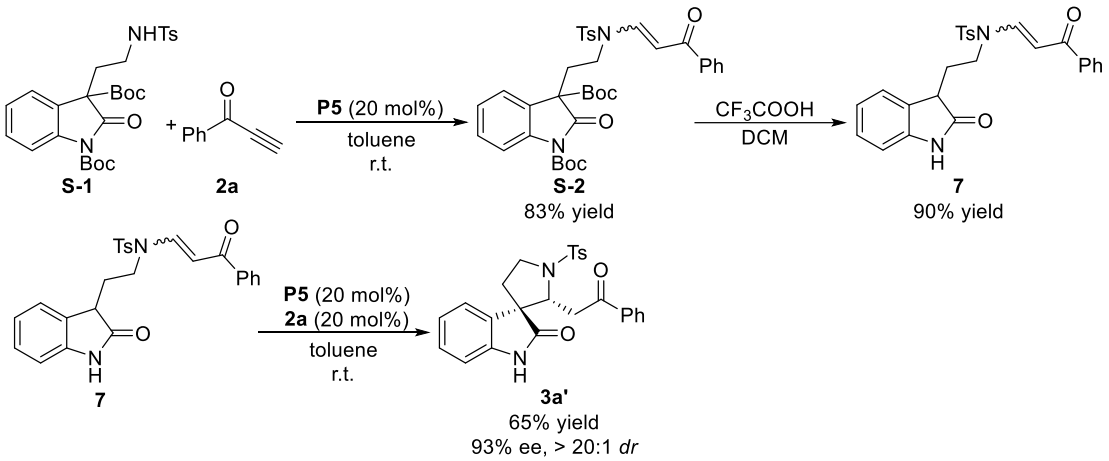
trace

Dry toluene (1.0 mL) was added into a dry reaction tube with the mixture of N-

Boc protected oxindole **4** (0.10 mmol), chiral phosphine catalyst (0.02 mmol) and activated 4Å MS. After that, ynone **2a** (0.12 mmol) were added and the mixture was stirred at -65 °C under dry N_2 until TLC showed the staring material was no longer consumed. The reaction mixture was directly purified by column chromatography on silica gel with EtOAc/petroleum ether to afford the addition product ***E*-5**. and ***Z*-5**.

CF_3COOH was added into the solution of addition product **5** or **6** in DCM. Until the staring material was no longer consumed, this reaction was diluted with saturated $NaHCO_3$. The aqueous layer was separated and extracted with DCM (three times). After the combined organic layer was dried over Na_2SO_4, the solvent was removed in vacuo. The residue was purified by silica gel column chromatography (eluent: hexane/EtOAc) to obtain the ***Z*-6**/***E*-6**.

Dry toluene (1.0 mL) was added into a dry reaction tube with the mixture of ***Z*-6**/***E*-6** (0.10 mmol), chiral phosphine catalyst (20 mol%) ynone **2a** (20 mol%) and activated 4Å MS. The mixture was stirred at -65 °C under dry N_2 for 72 h. The reaction mixture was directly purified by column chromatography on silica gel with EtOAc/petroleum ether to afford the addition product **3a'**.



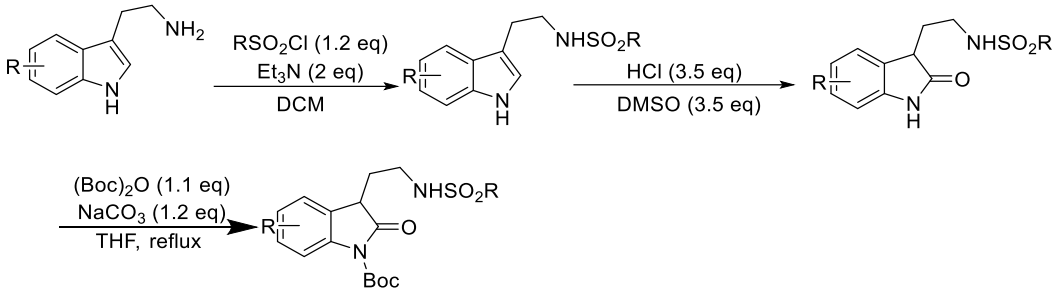
Dry toluene (3.0 mL) was added into a dry reaction tube with the mixture of C3-Boc protected oxindole **S-1** (0.30 mmol) and chiral phosphine catalyst (0.06 mmol). After that, ynone **2a** (0.36 mmol) were added and the mixture was stirred under dry N_2 until TLC showed the staring material was no longer consumed. The reaction mixture was directly purified by column chromatography on silica gel with EtOAc/petroleum ether to afford the addition product **S-2**.

CF_3COOH was added into the solution of addition product **S-2** in DCM. Until the staring material was no longer consumed, this reaction was diluted with saturated $NaHCO_3$. The aqueous layer was separated and extracted with DCM (three times). After the combined organic layer was dried over Na_2SO_4, the solvent was removed in vacuo. The residue was purified by silica gel column chromatography (eluent: hexane/EtOAc) to obtain **7**.

Dry toluene (1.0 mL) was added into a dry reaction tube with the mixture of ***Z*-**/***E*-7** (0.10 mmol), chiral phosphine catalyst (20 mol%) ynone **2a** (20 mol%) and activated 4Å MS. The mixture was stirred at -65 °C under dry N_2 for 72 h. The reaction mixture

was directly purified by column chromatography on silica gel with EtOAc/petroleum ether to afford the addition product **3a'**.

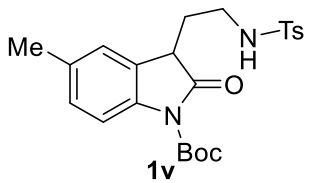
6. Preparation of the Substrates



Et_3N (2.0 equiv.) was added to a suspension of tryptamine (1.0 equiv.) in CH_2Cl_2 (1.0 M). The mixture was cooled to 0 ºC, and then, corresponding sulfuryl chloride (1.2 equiv.) was added. The reaction was allowed to slowly warm to room temperature until the starting material disappeared (monitored by TLC). The mixture was diluted with CH_2Cl_2, washed with water and brine, and dried with anhydrous Na_2SO_4. The solvent was removed in vacuo and the residue was purified by crystallization from petroleum ether/DCM to obtain product.

12 M HCl (3.5 equiv.) was added dropwise to a mixture of the last step product (1.0 equiv.) in DMSO (3.5 equiv.). The reaction was stirred for overnight at room temperature. After that, it was neutralized with saturated aqueous $NaHCO_3$. The solid was washed with water and brine. And then the solvent was removed in vacuo to provide crude product[1].

Di-*tert*-butyl dicarbonate (1.1 equiv.) was added to a solution of tryptamine-derived oxindole (10 mmol) and Na_2CO_3 (1.1 equiv.) in THF (50 mL) at 0 ºC. Then after the reaction was stirred under the reflux conditions for 1 h, 1 M HCl aq. was added. The aqueous layer was separated and extracted with EtOAc (three times). After the combined organic layer was dried over $MgSO_4$, the solvent was removed in vacuo. The residue was purified by silica gel column chromatography (eluent: hexane/EtOAc) to obtain the N-Boc-tryptamine-derived oxindole 1[2].

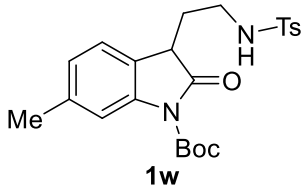


1v

***tert*-butyl 5-methyl-3-(2-((4-methylphenyl)sulfonamido)ethyl)-2-oxoindoline-1-carboxylate (1v)**

white solid (67% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.63 (d, *J* = 8.3 Hz, 1H), 7.28 (d, *J* = 7.9 Hz, 2H), 7.08 (d, *J* = 8.2 Hz, 1H), 6.98 (s, 1H), 5.35 (d, *J* = 5.5 Hz, 1H), 3.59-3.56 (m, 1H), 3.23-3.13 (m, 2H), 2.41 (s, 3H), 2.33 (s, 3H), 2.18 (dd, *J* = 13.9, 6.6 Hz, 1H), 1.98 (dd, *J* = 13.6, 7.5 Hz, 1H), 1.62 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.46, 149.05, 143.36, 137.44, 136.96, 134.21, 129.74, 128.79,

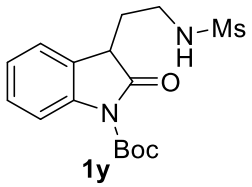
127.10, 127.01, 124.30, 114.83, 84.39, 43.33, 40.28, 31.23, 28.10, 21.54, 21.04; HRMS (ESI) m/z calcd for $C_{23}H_{28}N_2NaO_5S$ $[M+Na]^+$ = 467.1611, found 467.1604.



1w

***tert*-butyl 6-methyl-3-(2-((4-methylphenyl)sulfonamido)ethyl)-2-oxoindoline-1-carboxylate (1w)**

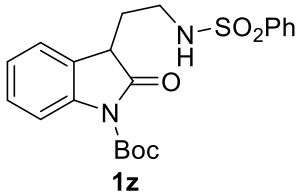
Yellow solid (62% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.2 Hz, 2H), 7.63 (s, 1H), 7.28-7.26 (m, 2H), 7.05 (d, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 7.6 Hz, 1H), 5.25 (t, *J* = 6.2 Hz, 1H), 3.58-3.58 (m, 1H), 3.20-3.14 (m, 2H), 2.41 (s, 3H), 2.37 (s, 3H), 2.20-2.12 (m, 1H), 2.04-1.94 (m, 1H), 1.63 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.48, 149.18, 143.34, 139.91, 138.42, 137.06, 129.71, 127.07, 125.10, 123.98, 123.32, 115.80, 84.43, 43.22, 40.31, 31.31, 28.08, 21.90, 21.47. HRMS (ESI) m/z calcd for $C_{23}H_{28}N_2NaO_5S$ $[M+Na]^+$ = 467.1611, found 467.1604.



1y

***tert*-butyl 3-(2-(methylsulfonamido)ethyl)-2-oxoindoline-1-carboxylate (1y)**

yellow liquid (50% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 8.1 Hz, 1H), 7.30 (dd, *J* = 12.2, 7.7 Hz, 2H), 7.16 (t, *J* = 7.4 Hz, 1H), 5.61 (t, *J* = 6.2 Hz, 1H), 3.72 (t, *J* = 6.4 Hz, 1H), 3.33 (dd, *J* = 13.1, 6.6 Hz, 2H), 2.93 (s, 3H), 2.29-2.15(m, 2H), 1.63 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.58, 148.97, 139.82, 128.30, 127.07, 124.60, 123.90, 115.02, 84.55, 43.16, 40.12, 39.74, 39.71, 31.42, 28.07; HRMS (ESI) m/z calcd for $C_{16}H_{22}N_2NaO_5S$ $[M+Na]^+$ = 377.1142, found 377.1134.



1z

***tert*-butyl 2-oxo-3-(2-(phenylsulfonamido)ethyl)indoline-1-carboxylate (1z)**

yellow solid (53% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86-7.84 (m, 2H), 7.77 (d, *J* = 8.2 Hz, 1H), 7.57-7.53 (m, 1H), 7.51-7.47 (m, 2H), 7.31-7.27 (m, 1H), 7.20-7.12 (m, 2H), 5.41 (t, *J* = 6.3 Hz, 1H), 3.63 (dd, *J* = 7.7, 5.6 Hz, 1H), 3.25-3.15 (m, 2H), 2.24-2.18 (m, 1H), 2.06-1.99 (m, 1H), 1.63 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.33, 149.00, 139.93, 139.87, 132.63, 129.16, 128.41, 127.02, 126.99, 124.58, 123.68, 115.09, 84.62, 43.35, 40.30, 31.23, 28.10; HRMS (ESI) m/z calcd for $C_{21}H_{24}N_2NaO_5S$ $[M+Na]^+$ = 439.1298, found 439.1304.

The spectrum data and the preparation methods of **1a**[2], **2a-2n**[3], **2p-2r**[4], **2s-2t**[5] are consistent with the references.

7. References

[1] Nibbs, A. E.; Montgomery, T. D.; Zhu, Y. Rawal, V. H. *J. Org. Chem.* **2015**, *80*, 4928-4941.

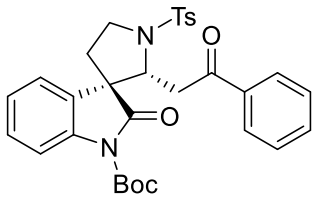
[2] Takizawa, S.; Kishi, K.; Kusaba, M.; Bai, J. F.; Suzuki, T.; Sasai, H. *Heterocycles* **2017**, *95*, 761-67.

[3] Zheng, M.; Wu, F.; Chen, K.; Zhu, S. *Org. Lett.* **2016**, *18*, 3554-3557.

[4] Ge, G.-C.; Mo, D.-L.; Ding, C.-H.; Dai, L.-X.; Hou, X.-L. *Org. Lett.* **2012**, *14*, 5756 -5759.

[5] Heissa, C.; Phillips, R. S. *J. Chem. Soc., Perkin Trans. 1,* 2000, 2821-2825.

8. General Datas



3a

***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-phenylethyl)-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3a)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (36.2 mg, 77% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

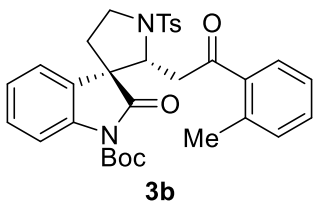
$[\alpha]_D^{20}$ = -69.5 (*c* = 0.310, $CHCl_3$).

Enantiomeric excess was found to be 94% by chiral HPLC (ChiralPak AD-H column, hexane/*i*-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 14.30 min, second peak: t_R = 26.68 min).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.72 (d, *J* = 8.1 Hz, 1H), 7.60-7.59 (m, 2H), 7.46-7.40 (m, 3H), 7.31 (t, *J* = 7.8 Hz, 2H), 7.25 (s, 1H), 7.15-7.12 (m, 1H), 7.03-7.00 (m, 1H), 4.52 (dd, *J* = 10.2, 4.1 Hz, 1H), 3.96 (dd, *J* = 18.4, 4.1 Hz, 1H), 3.85-3.79 (m, 1H), 3.73-3.68 (m, 2.9 Hz, 1H), 3.35 (dd, *J* = 18.4, 10.3 Hz, 1H), 2.47 (s, 3H), 1.92-1.86 (m, 1H), 1.79-1.75 (m, 1H), 1.66 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 197.36, 175.81, 149.18, 144.32, 139.67, 136.05, 133.06, 132.93, 130.11, 128.89, 128.30, 128.08, 127.95, 127.63, 124.09, 123.85, 115.72, 84.35, 63.82, 57.25, 48.60, 42.75, 37.37, 28.12, 21.69.

HRMS (ESI) m/z calcd for $C_{31}H_{32}N_2NaO_6S$ $[M+Na]^+$ = 583.1873, found 583.1181.



3b

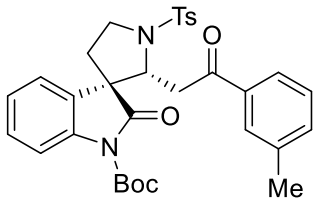
***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-(o-tolyl)ethyl)-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3b)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (50.3 mg, 88% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

$[\alpha]_D^{20}$ = -62.7 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 95% by chiral HPLC (ChiralPak AD-H column, hexane/*i*-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 15.79 min, second peak: t_R = 19.41 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.2 Hz, 1H), 7.79 (d, *J* = 8.2 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.33 (d, *J* = 7.7 Hz, 1H), 7.26 (t, *J* = 3.9 Hz, 1H), 7.21 (dd, *J* = 13.8, 5.6 Hz, 1H), 7.13-7.03 (m, 2H), 4.54 (dd, *J* = 10.4, 4.0 Hz, 1H), 4.00 (dd, *J* = 18.8, 4.0 Hz, 1H), 3.83-3.68 (m, 1H), 3.26 (dd, *J* = 18.8, 10.5 Hz, 1H), 2.47 (s, 1H), 2.11 (s, 1H), 1.89-1.83 (m, 1H), 1.77-1.72 (m, 1H), 1.64 (s, 5H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 200.74, 175.85, 149.15, 144.23, 139.75, 138.34, 136.12, 133.28, 131.75, 131.47, 130.08, 128.88, 128.64, 128.13, 128.07, 125.50, 124.13, 123.87, 115.76, 84.31, 63.87, 57.23, 48.54, 45.52, 37.71, 28.09, 21.62, 20.92. HRMS (ESI) m/z calcd for $C_{32}H_{34}N_2NaO_6S$ $[M+Na]^+$ = 597.2030, found 597.2022.



3c

***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-(m-tolyl)ethyl)-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3c)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (41.8 mg, 70% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

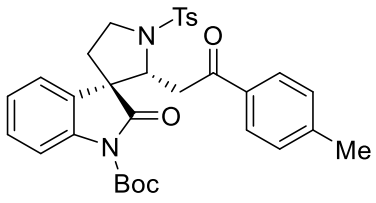
$[\alpha]_D^{20}$ = -85.2 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 96% by chiral HPLC (ChiralPak IA column, hexane/*i*-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 11.98 min, second peak: t_R = 17.21 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.42-7.39 (m, 4H), 7.27-7.25 (m, 2H), 7.21-7.11 (m, 2H), 7.03 (t, *J* = 7.5 Hz, 1H), 4.51 (dd, *J* = 10.2, 4.1 Hz, 1H), 3.97 (dd, *J* = 4.1 Hz, 1H), 3.80 (dd, *J* = 10.8, 6.0 Hz, 1H), 3.73-3.69 (m, 1H), 3.33 (dd, *J* = 18.4, 10.3 Hz, 1H), 2.47 (s, 3H), 2.31 (s, 3H), 1.93-1.85 (m, 1H), 1.79-1.76 (m, 1H), 1.65 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 197.54, 175.77, 149.17, 144.29, 139.67, 137.99, 136.14, 133.81, 132.97, 130.09, 128.85, 128.20, 128.08, 128.00, 124.81, 124.07, 123.85, 115.69, 84.31, 63.81, 57.26, 48.56, 42.74, 37.37, 28.12, 21.68, 21.28.

HRMS (ESI) m/z calcd for $C_{32}H_{34}N_2NaO_6S$ $[M+Na]^+$ = 597.2030, found 597.2028.



3d

***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-(*p*-tolyl)ethyl)-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3d)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (47.5 mg, 79% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (3:1 dr).

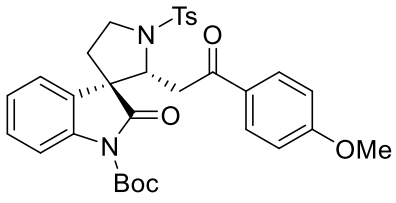
$[\alpha]_D^{20}$ = -76.8 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 94% by chiral HPLC (ChiralPak IA column, hexane/*i*-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 15.96 min, second peak: t_R = 30.62 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.51 (d, *J* = 8.1 Hz, 2H), 7.41 (d, *J* = 8.1 Hz, 2H), 7.25 (d, *J* = 8.9 Hz, 1H), 7.18-7.07 (m, 3H), 7.02 (t, *J* = 7.5 Hz, 1H), 4.50 (dd, *J* = 10.3, 4.0 Hz, 1H), 3.95 (dd, *J* = 18.4, 4.0 Hz, 1H), 3.85-3.78 (m, 1H), 3.75 – 3.65 (m, 1H), 3.33 (dd, *J* = 18.4, 10.4 Hz, 1H), 2.47 (s, 3H), 2.33 (s, 3H), 1.91-1.84 (m, 1H), 1.80-1.73 (m, 1H), 1.66 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 196.92, 175.89, 149.22, 144.31, 143.87, 139.64, 133.54, 132.88, 130.12, 129.00, 128.85, 128.08, 127.97, 127.76, 124.08, 123.83, 115.71, 84.32, 63.84, 57.26, 48.59, 42.60, 37.42, 28.12, 21.71, 21.66.

HRMS (ESI) m/z calcd for $C_{32}H_{34}N_2NaO_6S$ $[M+Na]^+$ = 597.2030, found 597.2031.



3e

***tert*-butyl (2'*R*,3*R*)-2'-(2-(4-methoxyphenyl)-2-oxoethyl)-2-oxo-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3e)**

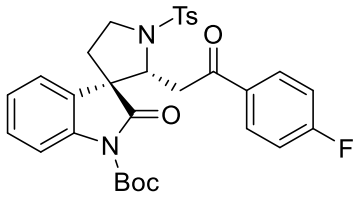
This compound was prepared according to the general procedure as a yellow liquid in 3 days (37.1 mg, 60% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

$[\alpha]_D^{25}$ = -78.2 (*c* = 0.326, $CHCl_3$).

Enantiomeric excess was found to be 96% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 21.36 min, second peak: t_R = 52.10 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.71 (d, *J* = 8.1 Hz, 1H), 7.63-7.55 (m, 2H), 7.40 (d, *J* = 8.1 Hz, 2H), 7.25 (d, *J* = 7.9 Hz, 1H), 7.16-7.11 (m, 1H), 7.04-7.00 (m, 1H), 6.81-6.74 (m, 2H), 4.49 (dd, *J* = 10.3, 4.1 Hz, 1H), δ 3.91 (dd, *J* =

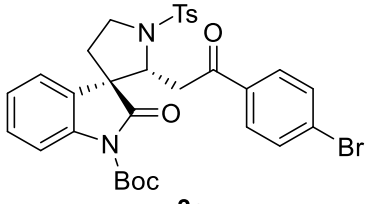
18.2, 4.1 Hz, 1H),3.85-3.78 (m, 4H), 3.73-3.67 (m, 1H), 3.29 (dd, *J* = 18.2, 10.4 Hz, 1H), 2.47 (s, 3H), 1.92-1.84 (m, 1H), 1.79-1.75 (m, 1H), 1.65 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 195.68, 175.80, 163.42, 149.22, 144.26, 139.70, 132.98, 130.08, 129.91, 129.19, 128.82, 128.08, 128.05, 124.02, 123.84, 115.67, 113.44, 84.25, 63.93, 57.27, 55.40, 48.60, 42.22, 37.34, 28.12, 21.66.
HRMS (ESI) m/z calcd for $C_{32}H_{34}N_2NaO_7S$ $[M+Na]^+$ = 613.1979, found 613.1989.



3f

***tert*-butyl (2'*R*,3*R*)-2'-(2-(4-fluorophenyl)-2-oxoethyl)-2-oxo-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3f)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (49.5 mg, 86% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -44.4 (*c* = 0.390, $CHCl_3$).
Enantiomeric excess was found to be 91% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 14.69 min, second peak: t_R = 25.71 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.71 (d, *J* = 8.2 Hz, 1H), 7.64-7.60 (m, 2H), 7.41 (d, *J* = 8.2 Hz, 2H), 7.26 (d, *J* = 8.5 Hz, 1H), 7.16-7.12 (m, 1H), 7.04-6.95 (m, 3H), 4.51 (dd, *J* = 10.2, 4.2 Hz, 1H), 3.91 (dd, *J* = 18.2, 4.2 Hz, 1H), 3.85-3.78 (m, 1H), 3.73-3.67(m, 1H), 3.31 (dd, *J* = 18.2, 10.2 Hz, 1H), 2.47 (s, 3H), 1.93-1.85 (m, 1H), 1.80-1,75 (m, 1H), 1.65 (s, 9H).
^{19}F NMR (282 MHz, $CDCl_3$) δ -105.03.
^{13}C NMR (101 MHz, $CDCl_3$) δ 195.77, 175.71, 165.68 (d, *J* = 254.8 Hz), 149.15, 144.36, 139.68, 132.91, 132.48 (d, *J* = 3.0 Hz), 130.26 (d, *J* = 9.4 Hz), 130.11, 128.93, 128.06, 127.91, 123.98 (d, *J* = 24.0 Hz), 115.70, 115.53, 115.32, 84.40, 63.83, 57.24, 48.62, 42.64, 37.30, 28.11, 21.67.
HRMS (ESI) m/z calcd for $C_{31}H_{31}N_2FNaO_6S$ $[M+Na]^+$ = 578.1889, found 578.1885.

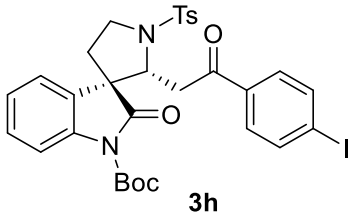


3g

***tert*-butyl (2'*R*,3*R*)-2'-(2-(4-bromophenyl)-2-oxoethyl)-2-oxo-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3g)**

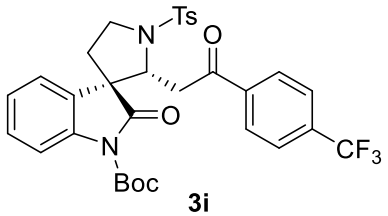
This compound was prepared according to the general procedure as a yellow liquid in 3 days (55.0 mg, 83% yield for major diastereoisomer in 0.1 mmol scale) and was

isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -70.1 (*c* = 0.330, $CHCl_3$).
Enantiomeric excess was found to be 88% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 17.14 min, second peak: t_R = 34.55 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.71 (d, *J* = 8.1 Hz, 1H), 7.45 (s, 4H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.25 (dd, *J* = 7.5, 0.8 Hz, 1H), 7.17-7.13 (m, 1H), 7.04-7.00 (m, 1H), 4.51 (dd, *J* = 10.1, 4.2 Hz, 1H), 3.90 (dd, *J* = 18.3, 4.2 Hz, 1H), 3.85-3.78(m, 1H), 3.72-3.67 (m, 1H), 3.30 (dd, *J* = 18.3, 10.2 Hz, 1H), 2.47 (s, 3H), 1.93-1.85 (m, 1H), 1.80-1.75 (m, 1H), 1.65 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 196.40, 175.70, 149.11, 144.38, 139.66, 134.75, 132.88, 131.64, 130.12, 129.12, 128.99, 128.28, 128.06, 127.85, 124.15, 123.85, 115.72, 84.44, 63.74, 57.21, 48.61, 42.74, 37.29, 28.11, 21.68.
HRMS (ESI) m/z calcd for $C_{31}H_{31}N_2BrNaO_6S$ $[M+Na]^+$ = 661.0978, found 661.0979.



3h

***tert*-butyl (2'*R*,3*R*)-2'-(2-(4-iodophenyl)-2-oxoethyl)-2-oxo-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3h)**
This compound was prepared according to the general procedure as a yellow liquid in 3 days (55.9 mg, 79% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -68.5 (*c* = 0.460, $CHCl_3$).
Enantiomeric excess was found to be 90% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 19.15 min, second peak: t_R = 41.46 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.69 (dd, *J* = 17.8, 8.3 Hz, 3H), 7.41 (d, *J* = 8.1 Hz, 2H), 7.30-7.23 (m, 3H), 7.17-7.13 (m, 1H), 7.04-7.00 (m, 1H), 4.51 (dd, *J* = 10.1, 4.2 Hz, 1H), 3.92-3.78 (m, 2H), 3.72-3.67 (m, 1H), 3.29 (dd, *J* = 18.4, 10.2 Hz, 1H), 2.47 (s, 3H), 1.92-1.85 (m, 1H), 1.80-1.75 (m, 1H), 1.65 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 196.71, 175.70, 149.11, 144.37, 139.65, 137.64, 135.27, 132.89, 130.12, 128.99, 128.06, 127.84, 124.16, 123.85, 115.72, 101.12, 84.43, 63.72, 57.20, 48.60, 42.69, 37.30, 28.12, 21.70, 21.67. HRMS (ESI) m/z calcd for $C_{31}H_{31}N_2INaO_6S$ $[M+Na]^+$ = 709.0840, found 709.0841.



3i

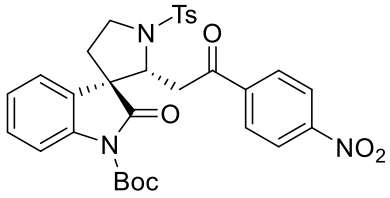
***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-(4-(trifluoromethyl)phenyl)ethyl)-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3i)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (35.8 mg, 58% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (4:1 dr).

$[\alpha]_D^{20}$ = -33.9 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 58% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 14.25 min, second peak: t_R = 32.42 min).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.70 (dd, *J* = 17.0, 8.1 Hz, 3H), 7.58 (d, *J* = 8.3 Hz, 2H), 7.42 (d, *J* = 8.0 Hz, 2H), 7.25 (s, 1H), 7.15-7.13 (m, 1H), 7.04-7.01 (m, 1H), 4.54 (dd, *J* = 10.0, 4.2 Hz, 1H), 3.96 (dd, *J* = 18.4, 4.3 Hz, 1H), 3.85-3.80 (m, 1H), 3.73-3.68 (m, 1H), 3.34 (dd, *J* = 18.4, 10.0 Hz, 1H), 2.48 (s, 3H), 1.93-1.87 (m, 1H), 1.81-1.77 (m, 1H), 1.66 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 196.61, 175.65, 149.09, 144.45, 139.65, 138.67, 134.34 (q, *J* = 32.7 Hz), 132.82, 130.15, 129.06, 128.06, 127.94, 127.79, 125.43 (q, *J* = 3.6 Hz), 124.21, 123.85, 115.77, 84.54, 63.67, 57.22, 48.61, 43.17, 37.29, 30.20, 30.15, 28.10, 21.69. ^{19}F NMR (376 MHz, $CDCl_3$) δ -63.21. HRMS (ESI) m/z calcd for $C_{31}H_{31}N_3NaO_8S$ $[M+Na]^+$ = 628.1724, found 628.1735.



3j

***tert*-butyl (2'*R*,3*R*)-2'-(2-(4-nitrophenyl)-2-oxoethyl)-2-oxo-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3j)**

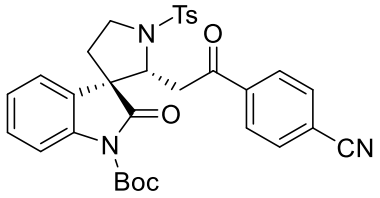
This compound was prepared according to the general procedure as a yellow liquid in 3 days (32.6 mg, 55% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (2:1 dr).

$[\alpha]_D^{20}$ = -46.9 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 29% by chiral HPLC (ChiralPak OD-H column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 59.84 min, second peak: t_R = 66.30 min).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.16 (d, *J* = 8.8 Hz, 2H), 7.85 (d, *J* = 8.2 Hz, 2H), 7.73 (dd, *J* = 8.8, 2.4 Hz, 3H), 7.43 (d, *J* = 8.0 Hz, 2H), 7.27 (s, 1H), 7.17-7.14 (m, 1H), 7.03

(dd, J = 7.5, 7.0 Hz, 1H), 4.56 (dd, J = 9.8, 4.4 Hz, 1H), 3.96 (dd, J = 18.4, 4.4 Hz, 1H), 3.84-3.81 (m, 1H), 3.73-3.68 (m, 1H), 3.34 (dd, J = 18.4, 9.8 Hz, 1H), 2.48 (s, 3H), 1.94-1.88 (mz, 1H), 1.82-1.78 (m, 1H), 1.66 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 196.16, 175.54, 150.25, 149.04, 144.53, 140.42, 139.65, 132.76, 130.17, 129.14, 128.63, 128.05, 127.73, 124.26, 123.90, 123.61, 115.78, 84.67, 63.63, 57.20, 48.63, 43.48, 37.23, 28.11, 21.70. HRMS (ESI) m/z calcd for $C_{32}H_{31}F_3N_2NaO_6S$ $[M+Na]^+$ = 651.1747, found 651.1743.



3k

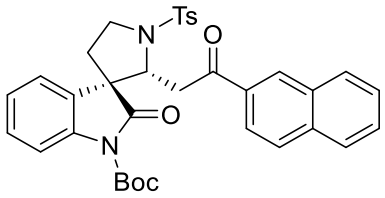
***tert*-butyl (2'*R*,3*R*)-2'-(2-(4-cyanophenyl)-2-oxoethyl)-2-oxo-1'-tosylspiro[indoline -3,3'-pyrrolidine]-1-carboxylate (3k)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (37.2 mg, 63% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (5:1 dr).

$[\alpha]_D^{20}$ = -41.7 (c = 0.380, $CHCl_3$).

Enantiomeric excess was found to be 34% by chiral HPLC (ChiralPak OD-3 column, hexane/i-PrOH = 85:15, 254 nm, 1.0 mL/min, first peak: t_R = 35.88 min, second peak: t_R = 39.24 min).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, J = 8.2 Hz, 2H), 7.72 (d, J = 8.2 Hz, 1H), 7.64 (dd, J = 23.4, 8.4 Hz, 4H), 7.42 (d, J = 8.1 Hz, 2H), 7.25 (s, 1H), 7.17-7.14 (m, 1H), 7.03 (t, J = 7.5 Hz, 1H), 4.54 (dd, J = 9.8, 4.3 Hz, 1H), 3.93 (dd, J = 18.4, 4.4 Hz, 1H), 3.84-3.79 (m, 1H), 3.72-3.68 (m, 1H), 3.31 (dd, J = 18.4, 9.8 Hz, 1H), 2.48 (s, 3H), 1.93-1.87 (m, 1H), 1.82-1.77 (m, 1H), 1.66 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 196.31, 175.54, 149.06, 144.51, 139.64, 138.94, 132.77, 132.25, 130.16, 129.11, 128.05, 128.01, 127.74, 124.24, 123.88, 117.85, 116.34, 115.77, 84.62, 63.63, 57.20, 48.61, 43.20, 37.24, 28.10, 21.69. HRMS (ESI) m/z calcd for $C_{32}H_{31}N_3NaO_6S$ $[M+Na]^+$ = 608.1826, found 608.1813.

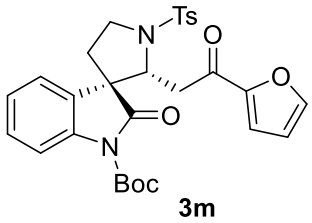


3l

***tert*-butyl (2'*R*,3*R*)-2'-(2-(naphthalen-2-yl)-2-oxoethyl)-2-oxo-1'-tosyl spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3l)**

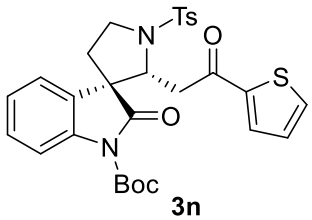
This compound was prepared according to the general procedure as a yellow liquid in 3 days (49.5 mg, 78% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

$[\alpha]_D^{20}$ = -74.6 (*c* = 0.330, $CHCl_3$).
Enantiomeric excess was found to be 96% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 16.95 min, second peak: t_R = 31.75 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.87 (t, *J* = 8.9 Hz, 3H), 7.79 (d, *J* = 8.0 Hz, 1H), 7.74- 7.63 (m, 3H), 7.57-7.48 (m, 2H), 7.42 (d, *J* = 8.0 Hz, 2H), 7.29 (dd, *J* = 7.5, 1.0 Hz, 1H), 7.08-7.04 (m, 1H), 7.00-6.95 (m, 1H), 4.58 (dd, *J* = 10.3, 4.1 Hz, 1H), 4.12-4.07 (m, 1H), 3.88-3.81 (m, 1H), 3.76-3.70 (m, 1H), 3.51 (dd, *J* = 18.2, 10.4 Hz, 1H), 2.47 (s, 3H), 1.95-1.87 (m, 1H), 1.82-1.77 (m, 1H), 1.66 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 197.25, 175.85, 149.18, 144.33, 139.70, 135.54, 133.41, 132.97, 132.24, 130.13, 129.55, 129.48, 128.91, 128.45, 128.15, 128.10, 127.97, 127.70, 126.69, 124.12, 123.89, 123.26, 115.70, 84.35, 63.97, 57.28, 48.65, 42.78, 37.36, 28.14, 21.69.
HRMS (ESI) m/z calcd for $C_{35}H_{34}N_2NaO_6S$ $[M+Na]^+$ = 633.2030, found 633.2025.



3m

***tert*-butyl (2'*R*,3*R*)-2'-(2-(furan-2-yl)-2-oxoethyl)-2-oxo-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3m)**
This compound was prepared according to the general procedure as a yellow liquid in 3 days (45.9 mg, 80% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -60.2 (*c* = 0.370, $CHCl_3$).
Enantiomeric excess was found to be 89% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 21.48 min, second peak: t_R = 26.16 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.2 Hz, 2H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.42 (dd, *J* = 9.2, 4.5 Hz, 3H), 7.31-7.27(m, 1H), 7.23-7.18 (m, 1H), 7.09-7.06 (m, 1H), 6.90 (d, *J* = 3.5 Hz, 1H), 6.38 (dd, *J* = 3.5, 1.7 Hz, 1H), 4.47 (dd, *J* = 9.8, 4.3 Hz, 1H), 3.84-3.78 (m, 2H), 3.71-3.66 (m, 1H), 3.14 (dd, *J* = 18.1, 9.9 Hz, 1H), 2.47 (s, 3H), 1.93-1.77 (m, 2H), 1.63 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 185.64, 175.39, 151.84, 149.07, 146.28, 144.26, 139.67, 132.89, 130.07, 128.95, 128.12, 127.86, 124.11, 123.98, 116.95, 115.62, 112.03, 84.39, 62.83, 57.26, 48.42, 42.07, 37.18, 28.09, 21.68.
HRMS (ESI) m/z calcd for $C_{29}H_{30}N_2NaO_7S$ $[M+Na]^+$ = 573.1666, found 573.1663.



3n

***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-(thiophen-2-yl)ethyl)-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3n)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (53.9 mg, 92% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

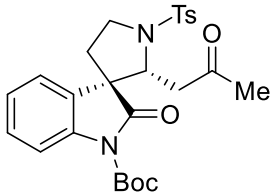
$[\alpha]_D^{20}$ = -77.9 (*c* = 0.420, $CHCl_3$).

Enantiomeric excess was found to be 92% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 16.76 min, second peak: t_R = 26.15 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.3 Hz, 2H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.49 (dd, *J* = 4.9, 1.0 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 2H), 7.37 (dd, *J* = 3.8, 1.0 Hz, 1H), 7.29 (dd, *J* = 7.4, 0.9 Hz, 1H), 7.18-7.14 (m, 1H), 7.09-7.05 (m, 1H), 6.96 (dd, *J* = 4.9, 3.9 Hz, 1H), 4.48 (dd, *J* = 10.2, 4.2 Hz, 1H), 3.90- 3.79 (m, 2H), 3.72-3.67 (m, 1H), 3.24 (dd, *J* = 17.7, 10.2 Hz, 1H), 2.47 (s, 3H), 1.89 (ddd, *J* = 12.4, 10.4, 8.4 Hz, 1H), 1.93-1.86 (m, 1H), 1.64 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 189.67, 175.46, 149.04, 144.34, 143.13, 139.76, 133.67, 132.82, 131.87, 130.11, 128.99, 128.08, 127.85, 127.80, 124.16, 123.94, 115.74, 84.35, 63.58, 57.22, 48.63, 42.92, 37.04, 28.09, 21.67.

HRMS (ESI) m/z calcd for $C_{29}H_{30}N_2NaO_6S_2$ $[M+Na]^+$ = 589.1437, found 589.1435.



3o

***tert*-butyl (2'R,3R)-2-oxo-2'-(2-oxopropyl)-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3o)**

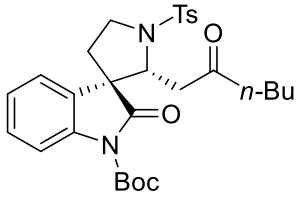
This compound was prepared according to the general procedure as a yellow liquid in 3 days (43.7 mg, 85% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (5:1 dr).

$[\alpha]_D^{20}$ = -45.6 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 95% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 12.51 min, second peak: t_R = 18.83 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 10.7, 8.4 Hz, 3H), 7.40 (d, *J* = 8.1 Hz, 2H), 7.35-7.21 (m, 2H), 7.21-7.17 (m, 1H), 4.33 (dd, *J* = 9.4, 4.7 Hz, 1H), 3.80-3.60 (m, 2H),

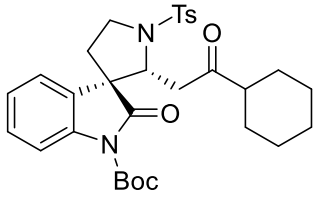
3.39 (dd, *J* = 18.6, 4.7 Hz, 1H), 2.72 (dd, *J* = 18.6, 9.5 Hz, 1H), 2.46 (s, 3H), 1.89-1.81 (m, 1H), 1.78-1.73 (m, 1H), 1.71 (s, 3H), 1.63 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 205.61, 175.49, 149.09, 144.27, 139.70, 132.90, 130.07, 129.05, 128.04, 124.18, 123.99, 115.66, 84.50, 62.79, 57.10, 48.43, 47.24, 37.24, 29.52, 28.09, 21.68, 21.66.
HRMS (ESI) m/z calcd for $C_{26}H_{30}N_2NaO_6S$ $[M+Na]^+$ = 521.1717, found 521.1710.



3p

***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxohexyl)-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3p)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (48.6 mg, 85% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -69.0 (*c* = 0.330, $CHCl_3$).
Enantiomeric excess was found to be 93% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 9.40 min, second peak: t_R = 16.12 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (dd, *J* = 8.1, 4.5 Hz, 3H), 7.40 (d, *J* = 8.1 Hz, 2H), 7.34-7.26(m, 2H), 7.18 (dd, *J* = 10.9, 4.0 Hz, 1H), 4.33 (dd, *J* = 10.0, 4.5 Hz, 1H), 3.79-3.72 (m, 1H), 3.67-3.61 (m, 1H), 3.37 (dd, *J* = 18.6, 4.5 Hz, 1H), 2.75 (dd, *J* = 18.6, 10.1 Hz, 1H), 2.46 (s, 3H), 2.09-2.01(m, 1H), 1.87-1.79 (m, 1H), 1.75-1.67 (m, 2H), 1.64 (s, 9H), 1.18-1.09 (m, 4H), 0.80 (t, *J* = 7.3 Hz, 3H), 0.98-0.88 (m, 2H)..
^{13}C NMR (101 MHz, $CDCl_3$) δ 208.17, 175.61, 149.10, 144.28, 139.75, 132.91, 130.09, 128.97, 128.01, 124.14, 124.03, 115.81, 84.38, 63.10, 57.10, 48.56, 46.57, 42.10, 37.33, 30.96, 28.08, 22.89, 22.29, 21.68, 13.82.
HRMS (ESI) m/z calcd for $C_{30}H_{38}N_2NaO_6S$ $[M+Na]^+$ = 577.2343, found 577.2342.



3q

***tert*-butyl (2'*R*,3*R*)-2'-(2-cyclohexyl-2-oxoethyl)-2-oxo-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3q)**

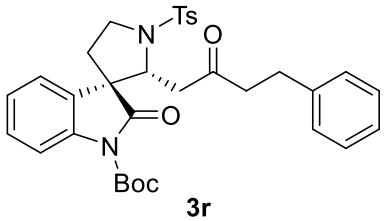
This compound was prepared according to the general procedure as a yellow liquid in 3 days (46.3 mg, 78% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -50.4 (*c* = 0.340, $CHCl_3$).

Enantiomeric excess was found to be 97% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 37.44 min, second peak: t_R = 39.36 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84-7.82 (m, 3H), 7.40 (d, *J* = 8.1 Hz, 2H), 7.32-7.24 (m, 2H), 7.17 (dd, *J* = 10.9, 4.1 Hz, 1H), 4.31 (dd, *J* = 10.4, 4.2 Hz, 1H), 3.79-3.63 (m, 2H), 3.42 (dd, *J* = 18.9, 4.3 Hz, 1H), 2.85 (dd, *J* = 18.9, 10.5 Hz, 1H),2.46 (s, 3H), 1.85-1.77 (m, 2H), 1.71-1.57 (m, 11H), 1.50 (dd, *J* = 8.6, 4.6 Hz, 3H), 1.11-0.99 (m, 5H), 0.76-0.66 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 211.32, 175.65, 149.17, 144.27, 139.83, 133.03, 130.09, 128.86, 128.11, 127.99, 124.10, 124.02, 115.91, 84.30, 63.36, 57.10, 49.89, 48.61, 44.86, 37.48, 28.09, 27.83, 27.72, 25.63, 25.30.

HRMS (ESI) m/z calcd for $C_{31}H_{38}N_2NaO_6S$ $[M+Na]^+$ = 589.2343, found 589.2341.



3r

***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-4-phenylbutyl)-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3r)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (46.0 mg, 75% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (4:1 dr).

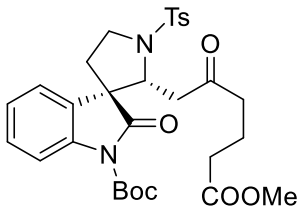
$[\alpha]_D^{25}$ = -56.3 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 90% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 13.93 min, second peak: t_R = 38.23 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85-7.80 (m, 3H), 7.38 (t, *J* = 13.7 Hz, 3H), 7.28-7.15 (m, 5H), 6.97 (d, *J* = 7.0 Hz, 2H), 4.35 (dd, *J* = 9.7, 4.7 Hz, 1H), 3.75 (dd, *J* = 10.8, 6.1 Hz, 1H), 3.64 (dd, *J* = 7.3, 4.4 Hz, 1H), 3.35 (dd, *J* = 18.4, 4.7 Hz, 1H), 2.72 (dd, *J* = 18.5, 9.6 Hz, 1H), 2.50-2.42 (m, 5H), 1.99-1.83 (m, 2H), 1.81 (d, *J* = 3.9 Hz, 1H), 1.76 (dd, *J* = 5.9, 2.8 Hz, 1H), 1.65 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 206.88, 175.55, 149.09, 144.32, 140.81, 139.76, 132.87, 130.10, 129.09, 128.42, 128.16, 128.07, 125.98, 124.26, 115.83, 84.49, 63.14, 57.15, 57.12, 48.61, 46.56, 43.93, 37.13, 29.03, 28.11, 28.07, 21.68.

HRMS (ESI) m/z calcd for $C_{33}H_{36}N_2NaO_6S$ $[M+Na]^+$ = 611.2186, found 611.2189.



3s

***tert*-butyl (2'*R*,3*R*)-2'-(6-methoxy-2,6-dioxohexyl)-2-oxo-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3s)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (47.9 mg, 80% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

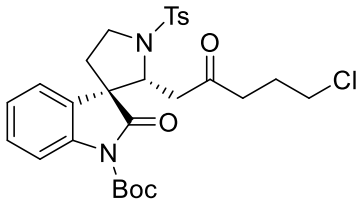
$[\alpha]_D^{20}$ = -78.6 (*c* = 0.330, $CHCl_3$).

Enantiomeric excess was found to be 96% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 21.84 min, second peak: t_R = 45.34 min).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 8.0, 5.2 Hz, 3H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.35-7.32 (m, 1H), 7.29 (dd, *J* = 5.2, 2.3 Hz, 1H), 7.21-7.18 (m, 1H), 4.36 (dd, *J* = 9.6, 4.7 Hz, 1H), 3.80-3.74 (m, 1H), 3.67-3.62 (m, 4H), 3.35 (dd, *J* = 18.5, 4.7 Hz, 1H), 2.71 (dd, *J* = 18.5, 9.6 Hz, 1H), 2.48 (s, 3H), 2.25-2.19 (m, 1H), 2.12-2.06 (m, 1H), 2.03-1.97 (m, 1H), 1.89-1.83 (m, 1H), 1.78-1.73(m, 2H), 1.65 (s, 9H), 1.55-1.49 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 207.02, 175.51, 173.42, 148.99, 144.29, 139.67, 132.87, 130.07, 129.04, 128.01, 124.23, 124.05, 115.77, 84.51, 62.99, 57.09, 51.44, 48.56, 46.63, 40.86, 37.13, 32.65, 28.04, 21.66, 18.24.

HRMS (ESI) m/z calcd for $C_{30}H_{36}N_2NaO_8S$ $[M+Na]^+$ = 607.2085, found 607.2074.



3t

***tert*-butyl (2'*R*,3*R*)-2'-(5-chloro-2-oxopentyl)-2-oxo-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3t)**

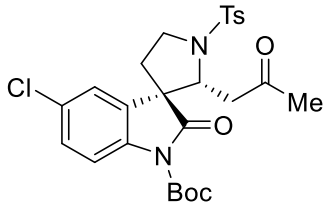
This compound was prepared according to the general procedure as a yellow liquid in 3 days (46.3 mg, 75% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

$[\alpha]_D^{20}$ = -65.6 (*c* = 0.320, $CHCl_3$).

Enantiomeric excess was found to be 90% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 95:05, 254 nm, 1.0 mL/min, first peak: t_R = 28.77 min, second peak: t_R = 75.42 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (dd, *J* = 8.4, 2.1 Hz, 3H), 7.41-7.27 (m, 4H), 7.22-7.18 (m, 1H), 4.35 (dd, *J* = 9.7, 4.8 Hz, 1H), 3.80-3.73 (m, 1H), 3.67-3.61 (m, , 1H),

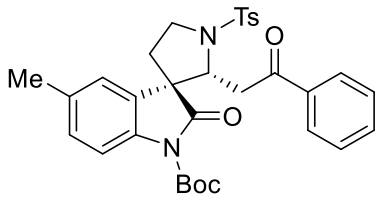
3.40-3.28 (m, 2H), 3.22-3.16 (m, 1H), 2.73 (dd, *J* = 18.5, 9.7 Hz, 1H), 2.47 (s, 3H), 2.35-2.28 (m, 1H), 1.88-1.79 (m, 2H), 1.77-1.2 (m, 1H), 1.70-1.62 (m, 11H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 206.76, 175.54, 149.00, 144.35, 139.64, 132.85, 130.11, 129.21, 128.01, 127.96, 124.35, 124.08, 115.79, 84.57, 63.04, 57.10, 48.59, 46.77, 43.96, 38.76, 37.12, 28.07, 25.88, 21.69.
HRMS (ESI) m/z calcd for $C_{28}H_{33}N_2ClNaO_6S$ $[M+Na]^+$ = 613.1979, found 613.1989.



3u

***tert*-butyl (2′*R*,3*R*)-5-chloro-2-oxo-2'-(2-oxopropyl)-1'-tosylspiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3u)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (41.3 mg, 75% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (9:1 dr).
$[\alpha]_D^{20}$ = -60.5 (*c* = 0.330, $CHCl_3$).
Enantiomeric excess was found to be 95% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 190 nm, 1.0 mL/min, first peak: t_R = 8.83 min, second peak: t_R = 12.77 min).
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (dd, *J* = 8.4, 6.6 Hz, 3H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.31 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.26-7.23 (m, 1H), 4.30 (td, *J* = 9.4, 5.7 Hz, 1H), 3.81-3.68 (m, 1H), 3.62 (ddd, *J* = 11.6, 8.4, 3.1 Hz, 1H), 3.46 (dd, *J* = 18.8, 4.6 Hz, 1H), 2.69 (dd, *J* = 18.7, 9.5 Hz, 1H), 2.47 (s, 3H), 1.81 (s, 3H), 1.76 (ddd, *J* = 12.8, 6.1, 3.3 Hz, 2H), 1.62 (s, 9H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 205.64, 174.72, 148.95, 144.39, 138.26, 132.75, 130.10, 129.78, 129.67, 129.05, 128.07, 123.95, 116.93, 84.89, 62.57, 57.15, 48.24, 47.10, 37.05, 29.71, 29.66, 28.06, 21.67.
HRMS (ESI) m/z calcd for $C_{26}H_{29}ClN_2NaO_6S$ $[M+Na]^+$ = 555.1327, found 555.1333.

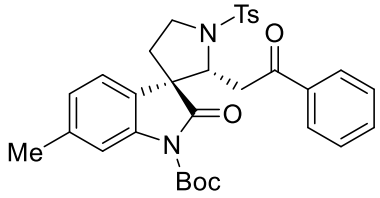


3v

***tert*-butyl (2'*R*,3*R*)-5-methyl-2-oxo-2'-(2-oxo-2-phenylethyl)-1'-tosylspiro [indoline-3,3'-pyrrolidine]-1-carboxylate (3v)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (42.3 mg, 71% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

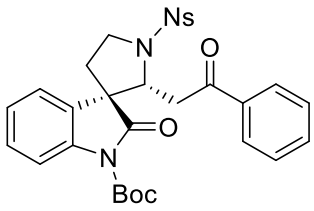
$[\alpha]_D^{20}$ = -71.7 (*c* = 0.350, $CHCl_3$).
Enantiomeric excess was found to be 80% by chiral HPLC (ChiralPak AD-H column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 21.48 min, second peak: t_R = 26.16 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.61-7.56 (m, 3H), 7.47-7.40 (m, 3H), 7.32 (t, *J* = 7.7 Hz, 2H), 7.03 (s, 1H), 6.90 (d, *J* = 8.3 Hz, 1H), 4.51 (dd, *J* = 10.2, 4.1 Hz, 1H), 3.92 (dd, *J* = 18.2, 4.1 Hz, 1H), 3.86-3.79 (m, 1H), 3.72-3.66 (m, 1H), 3.38 (dd, *J* = 18.2, 10.3 Hz, 1H), 2.47 (s, 3H), 2.18 (s, 3H), 1.93-1.85 (m, 1H), 1.82-1.76 (m, 1H), 1.64 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.21, 175.94, 149.20, 144.26, 137.29, 136.15, 133.81, 133.01, 132.90, 130.07, 129.35, 128.26, 128.10, 127.81, 127.61, 124.48, 115.46, 84.14, 63.81, 57.18, 48.56, 42.72, 37.07, 28.12, 21.69, 20.90. HRMS (ESI) m/z calcd for $C_{32}H_{34}N_2NaO_6S$ $[M+Na]^+$ = 597.2030, found 597.2029.



3w

***tert*-butyl (2'*R*,3*R*)-6-methyl-2-oxo-2'-(2-oxo-2-phenylethyl)-1'-tosylspiro [indoline-3,3'-pyrrolidine]-1-carboxylate (3w)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (42.8 mg, 76% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -61.3 (*c* = 0.350, $CHCl_3$).
Enantiomeric excess was found to be 83% by chiral HPLC (ChiralPak AD-H column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 12.58 min, second peak: t_R = 23.97 min).
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.2 Hz, 2H), 7.61-7.57 (m, 3H), 7.45-7.40 (m, 3H), 7.31 (t, *J* = 7.8 Hz, 2H), 7.12 (d, *J* = 7.7 Hz, 1H), 6.81 (d, *J* = 7.7 Hz, 1H), 4.50 (dd, *J* = 10.2, 4.1 Hz, 1H), 3.93 (dd, *J* = 18.2, 4.1 Hz, 1H), 3.79 (dd, *J* = 10.9, 5.9 Hz, 1H), 3.70 (dd, *J* = 8.4, 2.9 Hz, 1H), 3.33 (dd, *J* = 18.2, 10.2 Hz, 1H), 2.47 (s, 3H), 2.20 (s, 3H), 1.89-1.83 (m, 1H), 1.76-1.71 (m, 1H), 1.66 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 197.41, 176.04, 149.36, 144.27, 139.66, 139.08, 136.09, 132.99, 132.96, 130.09, 128.23, 128.07, 127.69, 124.95, 124.73, 123.59, 116.45, 84.26, 63.93, 57.10, 48.60, 42.69, 37.40, 28.11, 21.76, 21.68. HRMS (ESI) m/z calcd for $C_{32}H_{34}N_2NaO_6S$ $[M+Na]^+$ = 597.2030, found 597.2016.



3x

***tert*-butyl (2'*R*,3*R*)-1'-((4-nitrophenyl)sulfonyl)-2-oxo-2'-(2-oxo-2-phenylethyl) spiro[indoline-3,3'-pyrrolidine]-1-carboxylate (3x)**

This compound was prepared according to the general procedure as a yellow liquid in 3 days (48.3 mg, 78% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (3.5:1 dr).

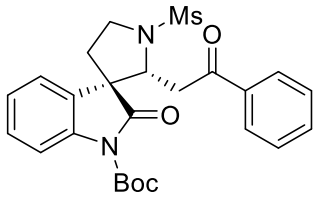
$[\alpha]_D^{20}$ = -9.7 (*c* = 0.360, $CHCl_3$).

Enantiomeric excess was found to be 87% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 190 nm, 1.0 mL/min, first peak: t_R = 23.68 min, second peak: t_R = 63.38 min).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (d, *J* = 8.8 Hz, 2H), 8.18 (d, *J* = 8.8 Hz, 2H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.62-7.60(m, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.7 Hz, 2H), 7.19-7.13 (m, 2H), 6.95 (dd, *J* = 7.5, 6.8 Hz, 1H), 4.59 (dd, *J* = 10.1, 4.0 Hz, 1H), 3.93-3.82 (m, 2H), 3.77-3.71 (m, 1H), 3.46 (dd, *J* = 18.3, 10.1 Hz, 1H), 1.93 (dd, *J* = 14.9, 7.1 Hz, 2H), 1.62 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 196.83, 175.92, 150.54, 148.98, 141.77, 139.76, 135.92, 133.30, 129.41, 129.18, 128.43, 127.60, 127.17, 124.58, 124.19, 123.57, 115.76, 84.67, 63.70, 56.85, 48.50, 42.90, 36.87, 28.05.

HRMS (ESI) m/z calcd for $C_{30}H_{29}N_3NaO_8S$ $[M+Na]^+$ = 614.1568, found 614.1572.



3y

***tert*-butyl (2'*R*,3*R*)-1'-(methylsulfonyl)-2-oxo-2'-(2-oxo-2-phenylethyl)spiro [indoline-3,3'-pyrrolidine]-1-carboxylate (3y)**

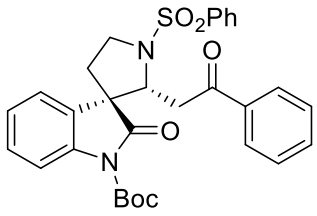
This compound was prepared according to the general procedure as a yellow liquid in 3 days (32.6 mg, 65% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).

$[\alpha]_D^{20}$ = -18.4 (*c* = 0.300, $CHCl_3$).

Enantiomeric excess was found to be 92% by chiral HPLC (ChiralPak IA column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 15.25 min, second peak: t_R = 17.25 min).

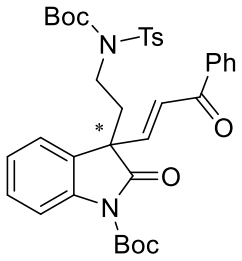
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.1 Hz, 1H), 7.58 (d, *J* = 7.3 Hz, 2H), 7.46 (t, *J* = 7.4 Hz, 1H), 7.31 (t, *J* = 7.7 Hz, 2H), 7.18 (t, *J* = 7.2 Hz, 2H), 6.94 (t, *J* = 7.4 Hz, 1H), 4.61 (dd, *J* = 9.7, 4.2 Hz, 1H), 3.85 (dd, *J* = 7.6, 6.4 Hz, 2H), 3.70 (dd, *J* = 18.5,

4.2 Hz, 1H), 3.35 (dd, *J* = 18.4, 9.7 Hz, 1H), 3.07 (s, 3H), 2.50-2.43 (m, 1H), 2.21-2.15 (m, 1H), 1.69 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 196.98, 177.07, 149.02, 139.71, 136.13, 133.10, 129.05, 128.35, 127.59, 127.56, 124.31, 123.64, 115.62, 84.80, 63.20, 57.05, 48.30, 42.59, 36.89, 33.90, 28.14.
HRMS (ESI) m/z calcd for $C_{25}H_{28}N_2NaO_6S$ $[M+Na]^+$ = 507.1560, found 507.1564.



3z

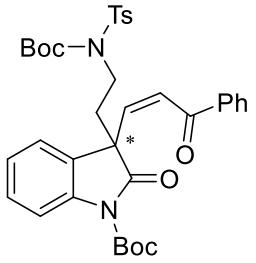
***tert*-butyl (2'*R*,3*R*)-2-oxo-2'-(2-oxo-2-phenylethyl)-1'-(phenylsulfonyl)spiro [indoline-3,3'-pyrrolidine]-1-carboxylate (3z)**
This compound was prepared according to the general procedure as a yellow liquid in 3 days (51.9 mg, 92% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -71.8 (*c* = 0.330, $CHCl_3$).
Enantiomeric excess was found to be 89% by chiral HPLC (ChiralPak AD-H column, hexane/i-PrOH = 90:10, 254 nm, 1.0 mL/min, first peak: t_R = 14.71 min, second peak: t_R = 24.54 min).
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 7.3 Hz, 2H), 7.73-7.59 (m, 6H), 7.45 (t, *J* = 7.4 Hz, 1H), 7.31 (t, *J* = 7.6 Hz, 2H), 7.28-7.22 (m, 1H), 7.13 (t, *J* = 7.9 Hz, 1H), 7.01 (t, *J* = 7.5 Hz, 1H), 4.55 (dd, *J* = 10.2, 4.0 Hz, 1H), 3.95 (dd, *J* = 18.4, 4.0 Hz, 1H), 3.87-3.80 (m, 1H), 3.77-3.69 (m, 1H), 3.37 (dd, *J* = 18.4, 10.2 Hz, 1H), 1.91-1.71 (m, 2H), 1.65 (s, 9H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 197.27, 175.71, 149.15, 139.69, 136.04, 135.94, 133.46, 133.07, 129.46, 128.91, 128.30, 128.03, 127.86, 127.61, 124.08, 123.81, 115.71, 84.36, 63.83, 57.20, 48.60, 42.76, 37.31, 28.11.
HRMS (ESI) m/z calcd for $C_{30}H_{30}N_2NaO_6S$ $[M+Na]^+$ = 569.1717, found 569.1720.



***E*-5**

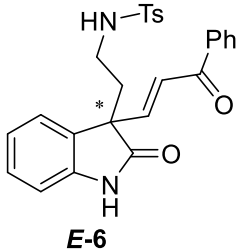
***tert*-butyl (*E*)-3-(2-((*N*-(tert-butoxycarbonyl)-4-methylphenyl) sulfonamido) ethy -l)-2-oxo-3-(3-oxo-3-phenylprop-1-en-1-yl)indoline-1-carboxylate (5)**
This compound was prepared according to procedure in control experiments as a yellow

solid in 3 days (20.8 mg, 32% yield in 0.1 mmol scale).$[\alpha]_D^{20} = 0.8$ (c = 0.320, $CHCl_3$).
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 (d, J = 13.6 Hz, 1H), 7.99 (dd, J = 7.3, 1.2 Hz, 1H), 7.89-7.87 (m, 2H), 7.75 (d, J = 8.4 Hz, 2H), 7.55-7.53 (m, 2H), 7.45 (t, J = 7.6 Hz, 2H), 7.29 (dd, J = 10.8, 4.8 Hz, 4H), 6.35 (d, J = 13.6 Hz, 1H), 3.69 (dd, J = 9.5, 6.8 Hz, 2H), 3.05-3.02 (m, 2H), 2.40 (s, 3H), 1.66 (s, 9H), 1.50 (s, 9H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.34, 150.63, 148.75, 145.01, 142.78, 139.27, 138.51, 135.11, 132.37, 132.04, 130.23, 128.56, 128.18, 127.33, 126.51, 124.48, 123.23, 118.28, 115.60, 104.37, 102.33, 85.31, 84.59, 45.51, 28.21, 27.55, 21.63, 20.95.
HRMS (ESI) m/z calcd for $C_{36}H_{40}N_2NaO_8S$ $[M+Na]^+$ = 683.2398, found 683.2385.



***Z*-5**

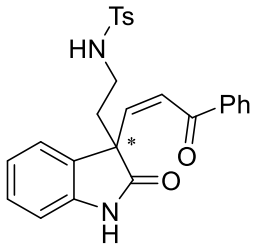
tert-butyl (*Z*)-3-(2-((*N*-(tert-butoxycarbonyl)-4-methylphenyl) sulfonamido) ethy -l)-2-oxo-3-(3-oxo-3-phenylprop-1-en-1-yl)indoline-1-carboxylate (6)
This compound was prepared according to procedure in control experiments as a yellow solid in 3 days (15.3 mg, 23% yield in 0.1 mmol scale).$[\alpha]_D^{20} = 0.6$ (c = 0.400, $CHCl_3$).
^{1}H NMR (500 MHz, $CDCl_3$) δ 8.33 (d, J = 13.6 Hz, 1H), 7.99 (dd, J = 7.3, 1.2 Hz, 1H), 7.89-7.87 (m, 2H), 7.75 (d, J = 8.4 Hz, 2H), 7.55-7.53 (m, 2H), 7.45 (t, J = 7.6 Hz, 2H), 7.29 (dd, J = 10.8, 4.8 Hz, 4H), 6.35 (d, J = 13.6 Hz, 1H), 3.69 (dd, J = 9.5, 6.8 Hz, 2H), 3.05-3.02 (m, 2H), 2.40 (s, 3H), 1.66 (s, 9H), 1.50 (s, 9H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 189.34, 150.63, 148.75, 145.01, 142.78, 139.27, 138.51, 135.11, 132.37, 132.04, 130.23, 128.56, 128.18, 127.33, 126.51, 124.48, 123.23, 118.28, 115.60, 104.37, 102.33, 85.31, 84.59, 45.51, 28.21, 27.55, 21.63, 20.95.
HRMS (ESI) m/z calcd for $C_{36}H_{40}N_2NaO_8S$ $[M+Na]^+$ = 683.2398, found 683.2387.



***E*-6**

(*E*)-4-methyl-*N*-(2-(2-oxo-3-(3-oxo-3-phenylprop-1-en-1-yl)indolin-3-yl)ethyl) benzenesulfonamide (*E*-int.)
This compound was prepared according to procedure in control experiments as a yellow solid in 3 days (40 mg, 87% yield in 0.1 mmol scale).
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (s, 1H), 7.86 (dd, J = 23.7, 7.7 Hz, 4H), 7.35-7.51 (m, 5H), 7.00 (t, J = 7.7 Hz, 1H), 6.53 (d, J = 7.7 Hz, 1H), 6.46 (t, J = 7.6 Hz, 1H), 5.51

(d, *J* = 7.5 Hz, 1H), 4.38 (dd, *J* = 10.7, 2.8 Hz, 1H), 3.92-3.97 (m, 1H), 3.77 (dd, *J* = 18.3, 2.9 Hz, 1H), 3.48-3.42 (m, 1H), 2.54 (s, 3H). 4.18-4.10 (m, 1H), 2.51-2.43 (m, 1H), 1.80-1.75 (m, 1H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 198.94, 177.87, 144.17, 139.53, 136.62, 133.80, 133.35, 133.06, 130.17, 128.53, 128.08, 127.95, 122.12, 122.05, 109.91, 63.46, 55.04, 47.32, 42.69, 35.38, 21.65.
HRMS (ESI) m/z calcd for $C_{26}H_{24}N_2NaO_4S$ $[M+Na]^+$ = 483.1349, found 483.1346.

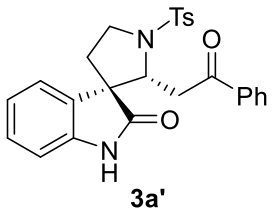


***Z*-6**

(*Z*)-4-methyl-*N*-(2-(2-oxo-3-(3-oxo-3-phenylprop-1-en-1-yl)indolin-3-yl)ethyl) benzenesulfonamide (*E*-int.)

This compound was prepared according to procedure in control experiments as a yellow solid in 3 days (42 mg, 91% yield in 0.1 mmol scale).
^{1}H NMR (500 MHz, DMSO) δ 10.34 (s, 1H), 7.82 (d, *J* = 8.1 Hz, 2H), 7.61 (d, *J* = 7.5 Hz, 2H), 7.56-7.50 (m, 3H), 7.40 (t, *J* = 8.0 Hz, 3H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.75-6.72 (m, 1H), 6.65 (d, *J* = 7.7 Hz, 1H), 4.37 (dd, *J* = 9.6, 4.1 Hz, 1H), 3.75-3.68 (m, 2H), 3.61 (dd, *J* = 18.2, 9.7 Hz, 1H), 3.52 (dd, *J* = 18.2, 4.1 Hz, 1H), 2.45 (d, *J* = 8.3 Hz, 3H). 1.85-1.80 (m, 1H), 1.68-1.63 (m, 1H).

^{13}C NMR (126 MHz, DMSO) δ 197.36, 178.73, 144.17, 142.44, 136.42, 133.88, 133.55, 130.36, 129.66, 128.93, 128.77, 128.33, 127.81, 125.20, 121.57, 109.96, 62.23, 56.90, 48.50, 43.11, 36.48, 21.59.
HRMS (ESI) m/z calcd for $C_{26}H_{24}N_2NaO_4S$ $[M+Na]^+$ = 483.1349, found 483.1349.



3a'

(2'*R*,3*R*)-2'-(2-oxo-2-phenylethyl)-1'-tosylspiro[indoline-3,3'-pyrrolidin]-2-one (3a')

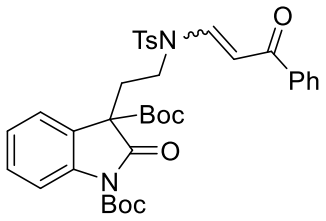
This compound was prepared according to the procedure in control experiments as a yellow solid in 3 days. (32.6 mg, 65% yield for major diastereoisomer in 0.1 mmol scale) and was isolated as a major diastereoisomer (>20:1 dr).
$[\alpha]_D^{20}$ = -94.998 (*c* = 0.330, $CHCl_3$).
Enantiomeric excess was found to be 93% by chiral HPLC (ChiralPak AD-H column,

hexane/i-PrOH = 70:30, 214 nm, 1.0 mL/min, first peak: t_R = 36.31 min, second peak: t_R = 69.95 min).

^{1}H NMR (500 MHz, DMSO) δ 10.35 (s, 1H), 7.82 (d, *J* = 8.1 Hz, 2H), 7.61 (d, *J* = 7.5 Hz, 2H), 7.55- 7.50 (m, 3H), 7.39 (t, *J* = 7.9 Hz, 3H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.74 (t, *J* = 7.5 Hz, 1H), 6.66 (d, *J* = 7.7 Hz, 1H), 4.39 (dd, *J* = 9.5, 4.2 Hz, 1H), 3.76-3.67 (m, 2H), 3.64-3.51 (m, 2H), 2.45 (s, 3H), 1.85-1.80 (m, 1H), 1.69-1.63 (m, 1H).

^{13}C NMR (126 MHz, DMSO) δ 197.36, 178.74, 144.17, 142.45, 136.42, 133.88, 133.54, 130.36, 129.66, 128.92, 128.78, 128.34, 127.81, 125.19, 121.58, 109.97, 62.24, 56.91, 48.51, 43.11, 36.48, 21.58.

HRMS (ESI) m/z calcd for $C_{26}H_{24}N_2NaO_4S$ $[M+Na]^+$ = 483.1349, found 483.1349.



S-2

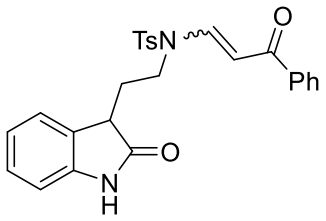
di-*tert*-butyl 3-(2-((4-methyl-*N*-(3-oxo-3-phenylprop-1-en-1-yl)phenyl)sulfonamido)ethyl)-2-oxoindoline-1,3-dicarboxylate (S-2)

This compound was prepared according to procedure in control experiments as a yellow solid in 3 days (160 mg, 83% yield in 0.3 mmol scale).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.32 (d, *J* = 13.6 Hz, 1H), 8.08 (d, *J* = 7.6 Hz, 2H), 7.87 (d, *J* = 8.2 Hz, 1H), 7.68 (d, *J* = 7.9 Hz, 2H), 7.54 (t, *J* = 7.2 Hz, 1H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.40 (t, *J* = 7.8 Hz, 1H), 7.29 (dd, *J* = 16.4, 7.2 Hz, 3H), 7.22 (t, *J* = 7.4 Hz, 1H), 6.62 (d, *J* = 13.6 Hz, 1H), 3.76 (td, *J* = 14.5, 4.6 Hz, 1H), 3.48-3.42 (m, 1H), 2.70 (td, *J* = 13.2, 3.5 Hz, 1H), 2.41 (s, 3H), 2.29 (td, *J* = 13.2, 4.7 Hz, 1H), 1.66 (s, 9H), 1.36 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 188.86, 172.17, 166.88, 148.77, 145.02, 142.02, 139.90, 138.35, 134.97, 132.47, 130.23, 129.69, 128.48, 128.39, 127.32, 126.30, 124.97, 122.66, 115.51, 102.27, 84.84, 83.79, 77.41, 77.16, 76.90, 58.72, 41.99, 30.87, 28.10, 27.59, 21.61.

HRMS (ESI) m/z calcd for $C_{36}H_{40}N_2NaO_8S$ $[M+Na]^+$ = 683.2398, found 683.2383.



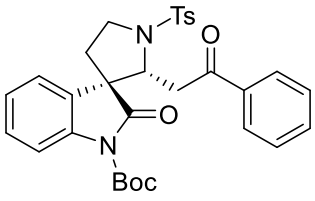
7

4-methyl-*N*-(3-oxo-3-phenylprop-1-en-1-yl)-*N*-(2-(2-oxoindolin-3-yl)ethyl)benzenesulfonamide (6)

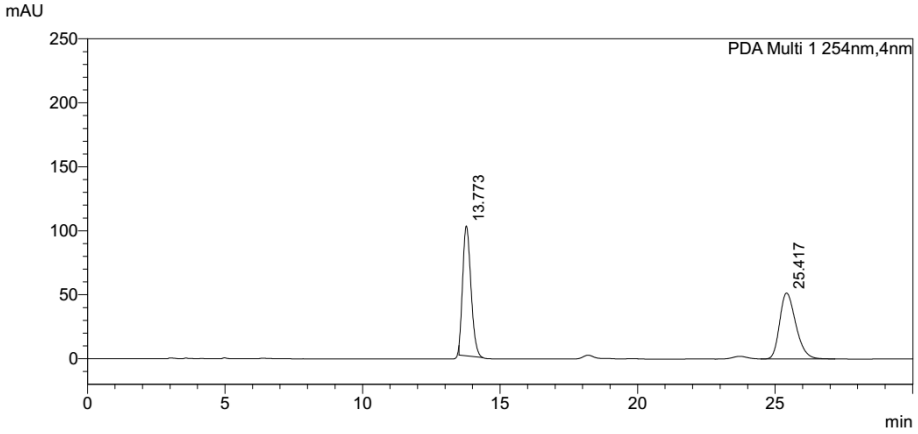
This compound was prepared according to procedure in control experiments as a yellow solid in 3 days (84 mg, 90% yield in 0.2 mmol scale).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.78 (s, 1H), 8.30 (d, *J* = 13.6 Hz, 1H), 7.96 (d, *J* = 7.2 Hz, 2H), 7.69 (d, *J* = 8.3 Hz, 2H), 7.47-7.39 (m, 4H), 7.30 (d, *J* = 8.3 Hz, 3H), 7.23 (d, *J* = 8.9 Hz, 1H), 6.87 (t, *J* = 6.2 Hz, 1H), 6.49 (d, *J* = 13.6 Hz, 1H), 3.95-3.78 (m, 1H), 3.62-3.57 (m, 2H), 2.40 (s, 3H), 2.26-2.14 (m, 1H), 1.90-1.76 (m, 1H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 197.68, 189.14, 145.01, 142.41, 138.40, 135.14, 132.44, 130.23, 128.49, 128.25, 127.59, 127.32, 123.93, 122.81, 110.10, 102.46, 77.38, 77.07, 76.75, 62.57, 43.01, 36.42, 27.29.
HRMS (ESI) m/z calcd for $C_{26}H_{24}N_2NaO_4S$ $[M+Na]^+$ = 483.1349, found 483.1345.

9. HPLC Spectra



3a



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	13.773	101479	66.321	2127919	50.308
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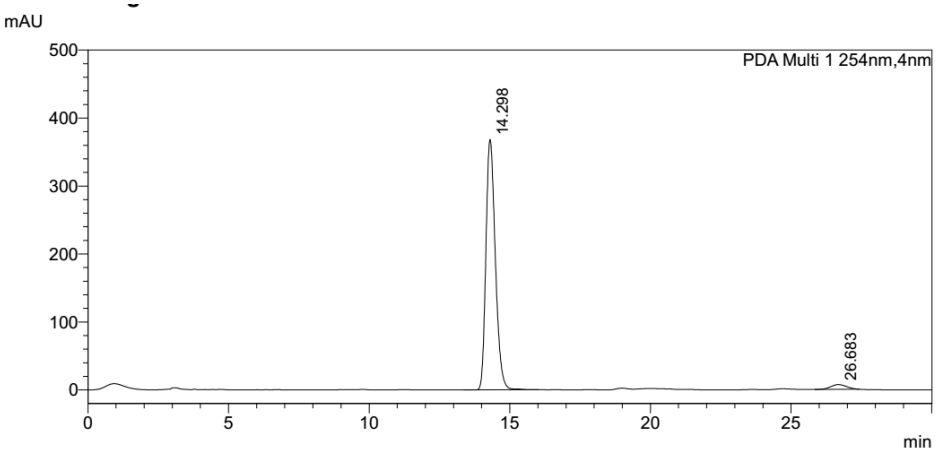
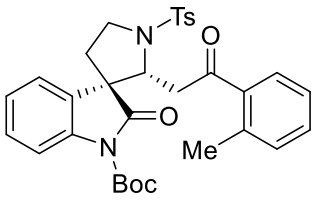
Total		153013	100.000	4229760	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.298	368319	98.156	8580777	96.934
2	26.683	6921	1.844	271444	3.066
Total		375240	100.000	8852221	100.000



3b

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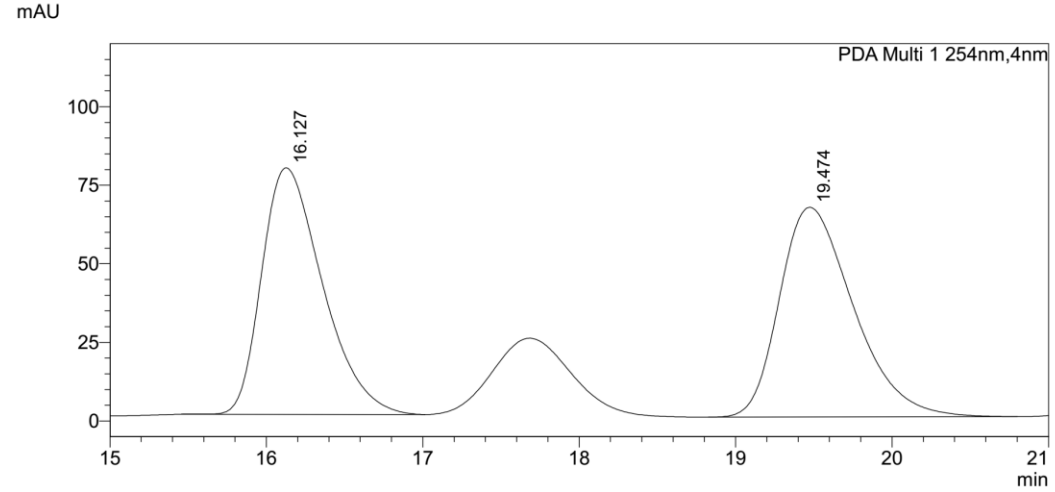
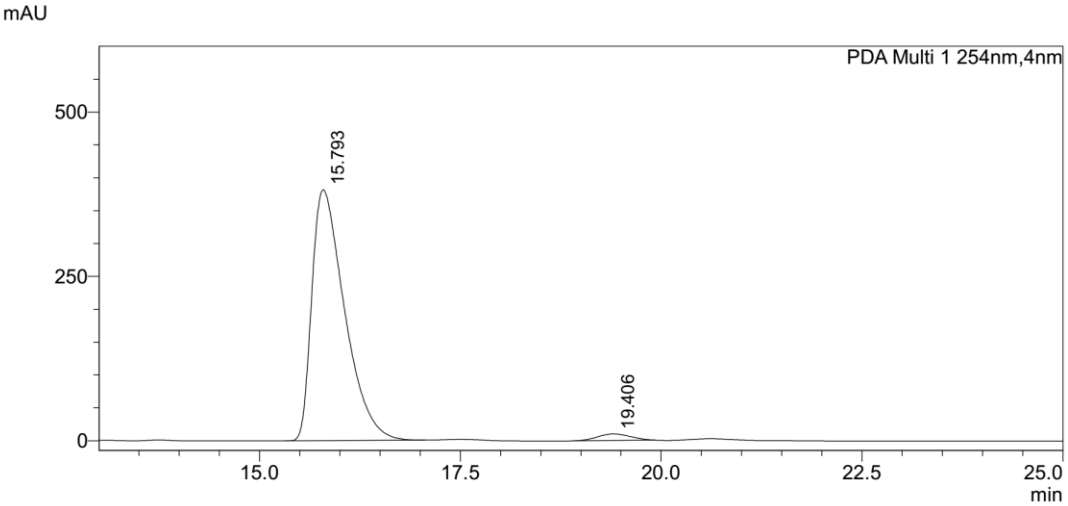


<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.127	78439	54.036	2122555	49.171
2	19.474	66721	45.964	2194155	50.829
Total		145161	100.000	4316710	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	15.793	381753	97.465	10837347	97.454
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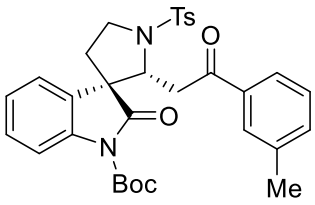
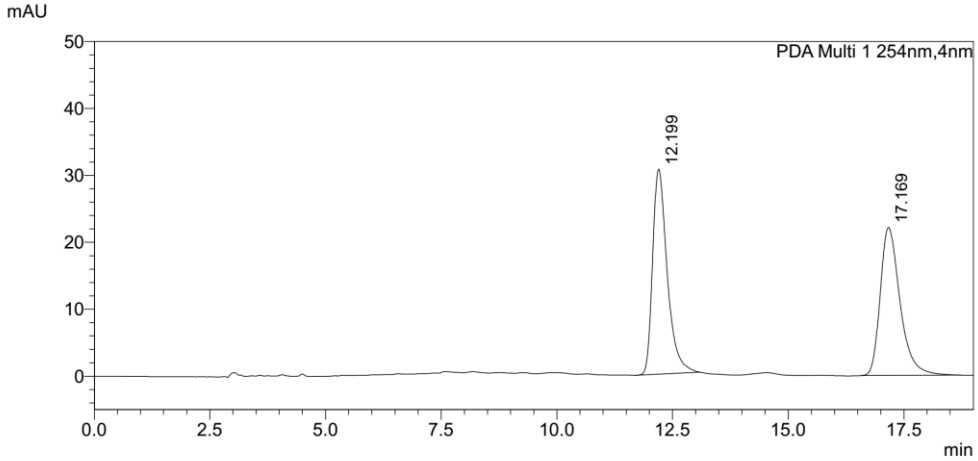
Total		391680	100.000	11120510	100.000



3c

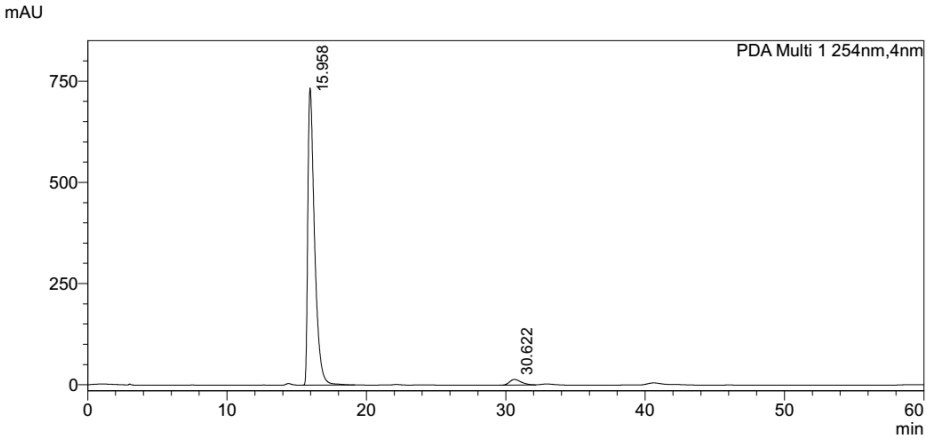
<Chromatogram>



<Peak Table>

PDA Ch1 254nm

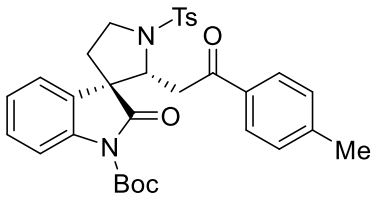
Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.199	30627	58.068	671379	50.424
2	17.169	22117	41.932	660086	49.576
Total		52744	100.000	1331466	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	15.958	734489	98.139	24683571	96.840
2	30.622	13925	1.861	805499	3.160
Total		748414	100.000	25489070	100.000



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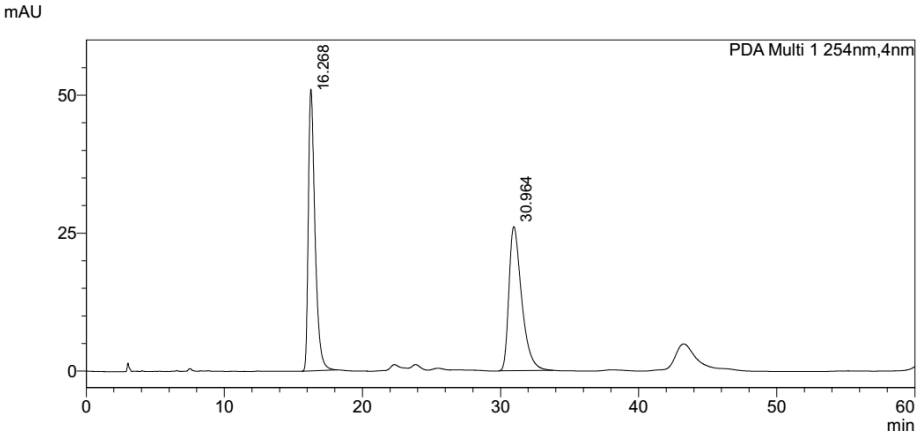
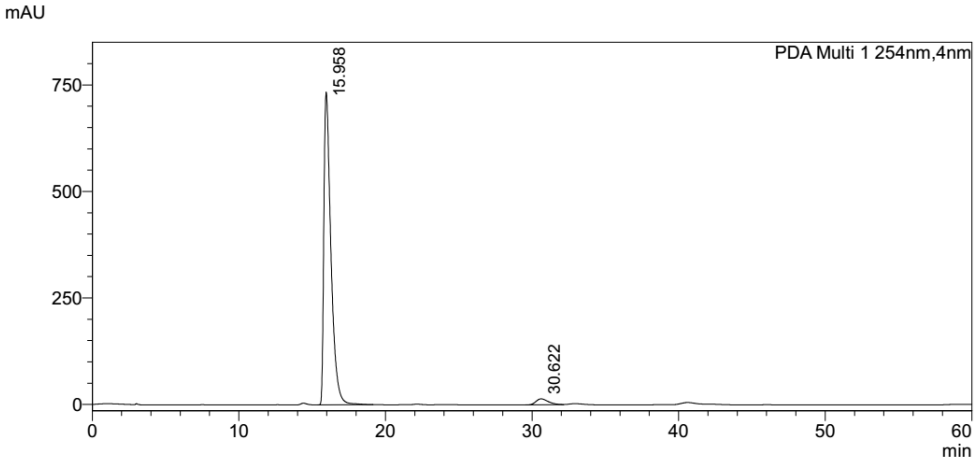


<Peak Table>

PDA Ch1 254nm

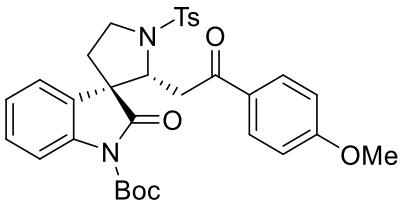
Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.268	51081	66.160	1724807	50.676
2	30.964	26128	33.840	1678791	49.324
Total		77209	100.000	3403597	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	15.958	734489	98.139	24683571	96.840
2	30.622	13925	1.861	805499	3.160
Total		748414	100.000	25489070	100.000



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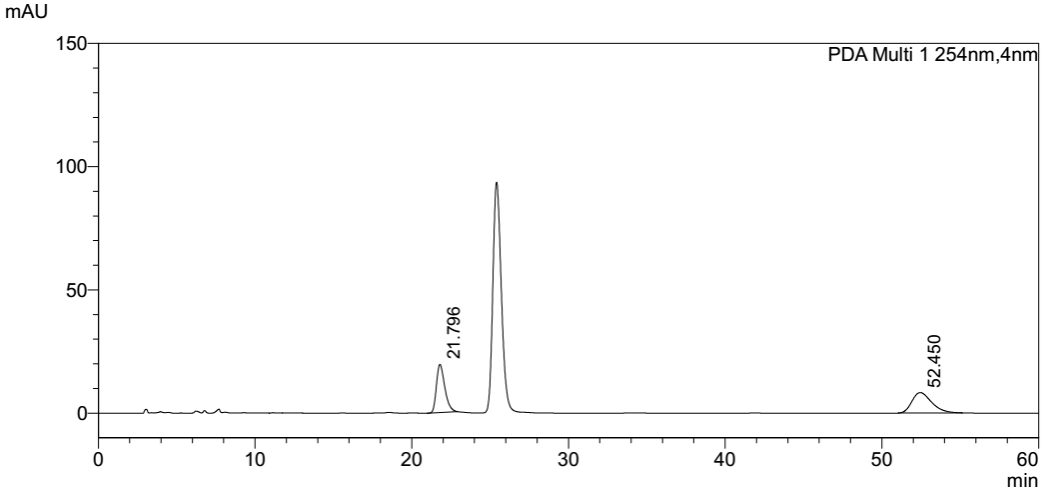
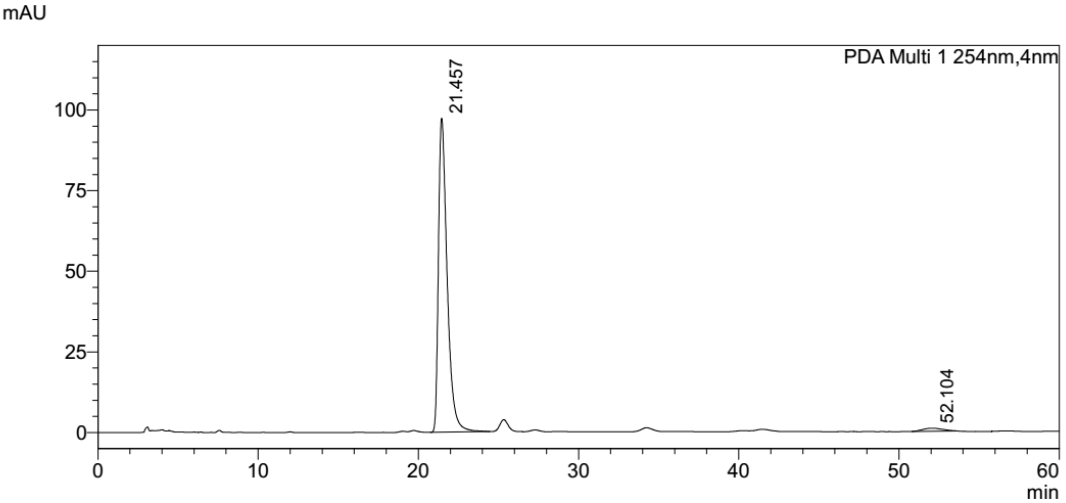


<Peak Table>

PDA Ch1 254nm

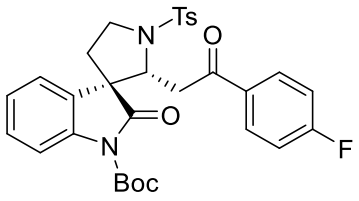
Peak#	Ret. Time	Height	Height%	Area	Area%
1	21.796	19508	70.167	722406	49.838
2	52.450	8294	29.833	727101	50.162
Total		27803	100.000	1449507	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	21.457	97397	99.050	3685892	97.806
2	52.104	934	0.950	82696	2.194
Total		98331	100.000	3768588	100.000



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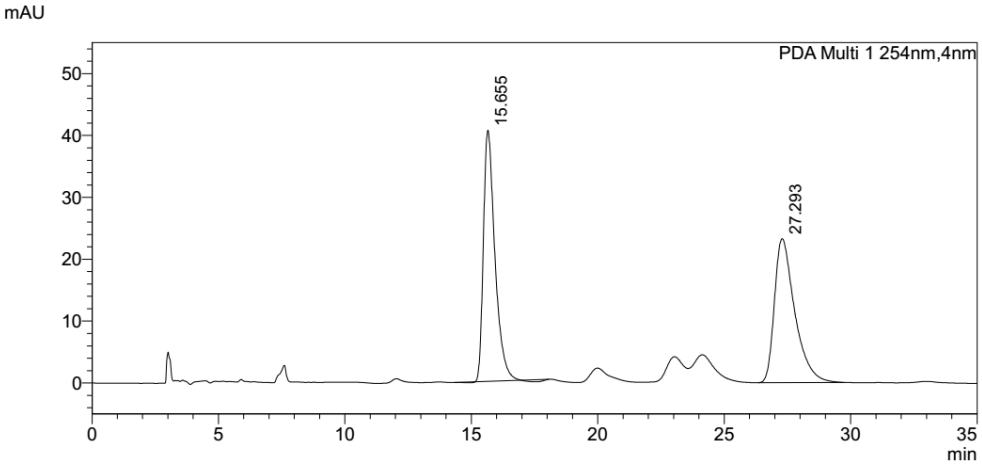
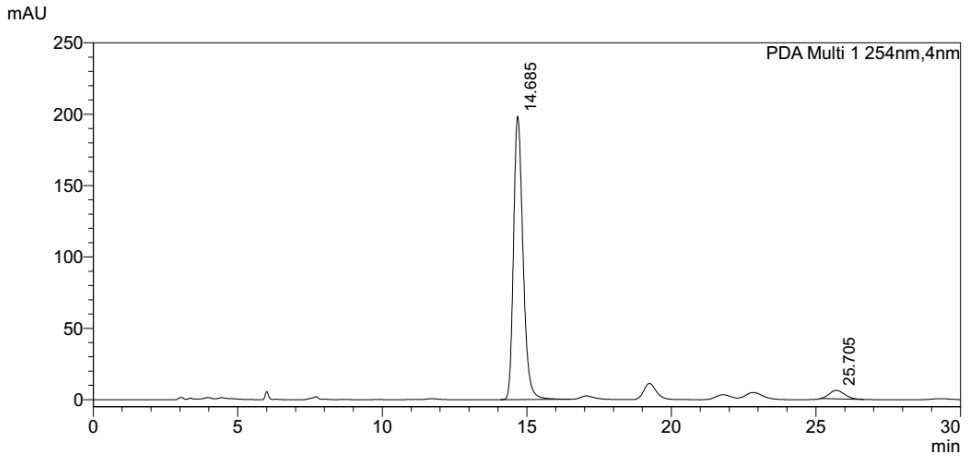


<Peak Table>

PDA Ch1 254nm

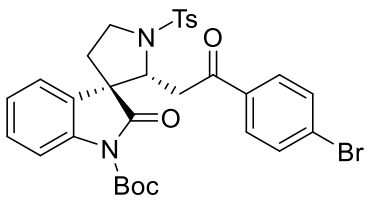
Peak#	Ret. Time	Height	Height%	Area	Area%
1	15.655	40576	63.558	1269567	49.418
2	27.293	23265	36.442	1299473	50.582
Total		63841	100.000	2569039	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.685	198576	97.085	4527116	95.381
2	25.705	5963	2.915	219227	4.619
Total		204539	100.000	4746342	100.000



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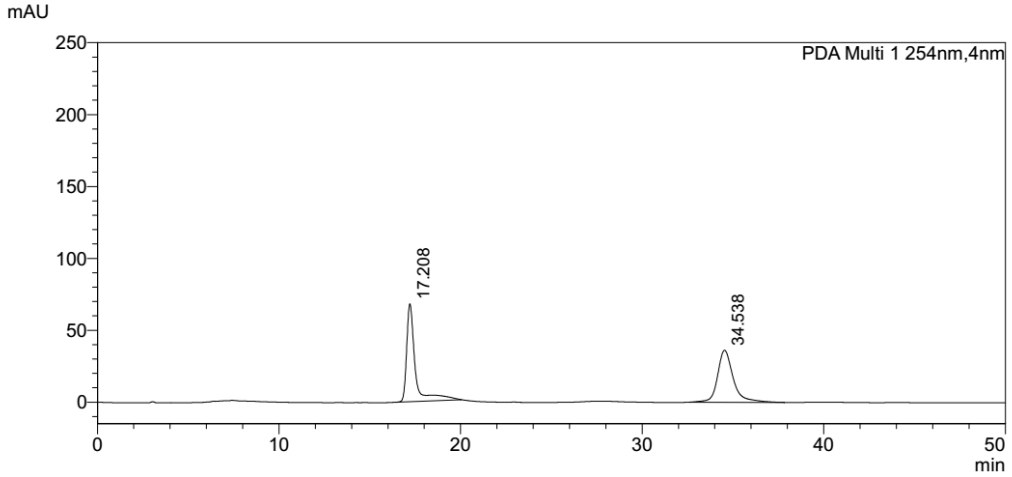
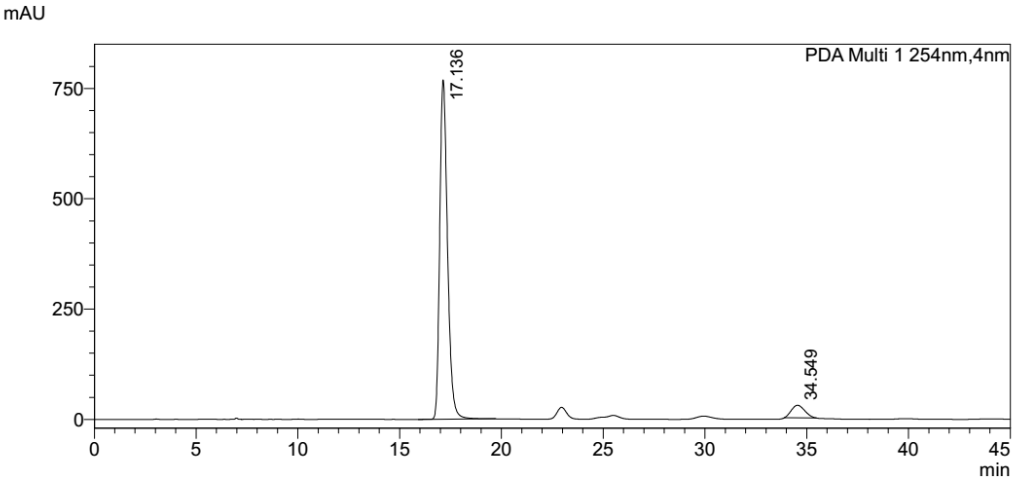


<Peak Table>

PDA Ch1 254nm

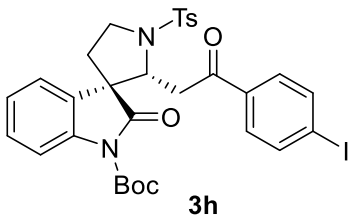
Peak#	Ret. Time	Height	Height%	Area	Area%
1	17.208	68071	65.302	2240970	50.850
2	34.538	36169	34.698	2166059	49.150
Total		104241	100.000	4407028	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	17.136	768853	96.428	20406215	94.016
2	34.549	28484	3.572	1298914	5.984
Total		797337	100.000	21705129	100.000



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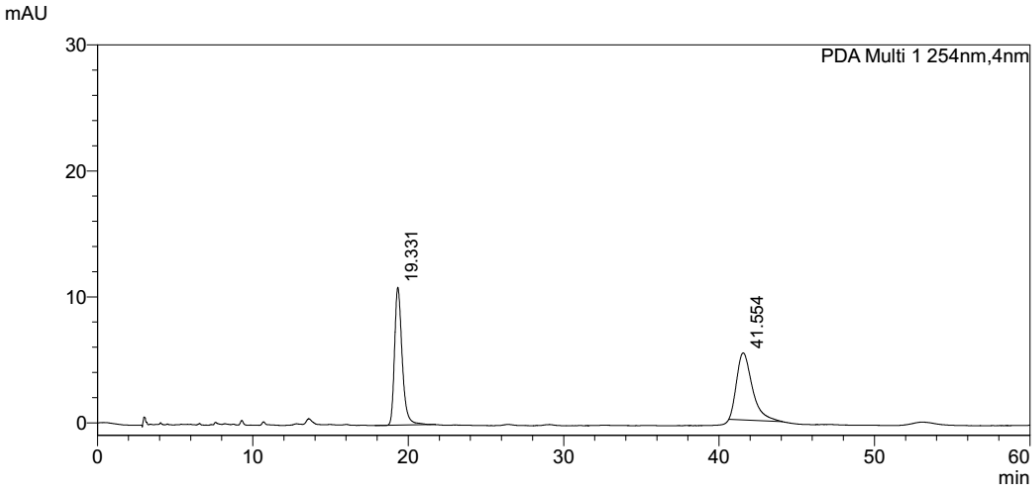
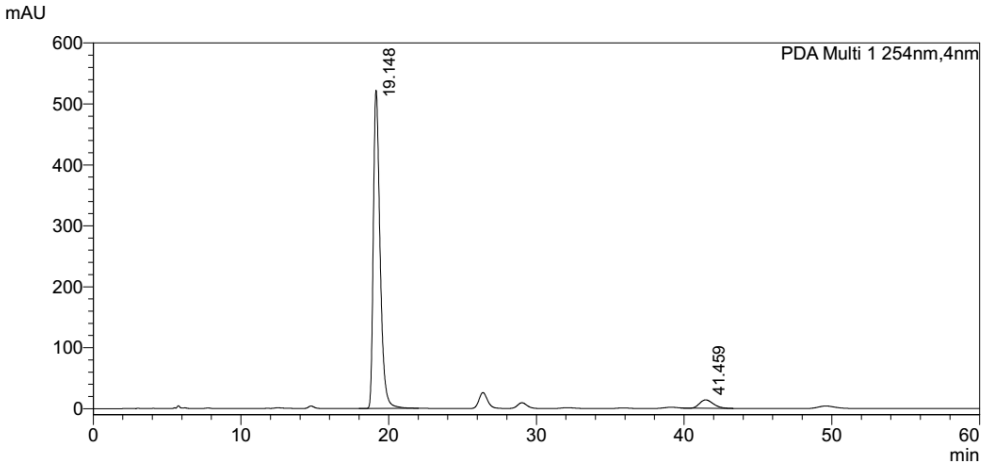


<Peak Table>

PDA Ch1 254nm

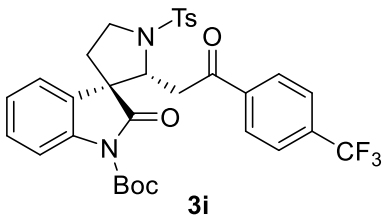
Peak#	Ret. Time	Height	Height%	Area	Area%
1	19.331	10948	67.308	373083	50.078
2	41.554	5317	32.692	371923	49.922
Total		16265	100.000	745006	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	19.148	522131	97.460	16555430	95.104
2	41.459	13608	2.540	852355	4.896
Total		535739	100.000	17407785	100.000



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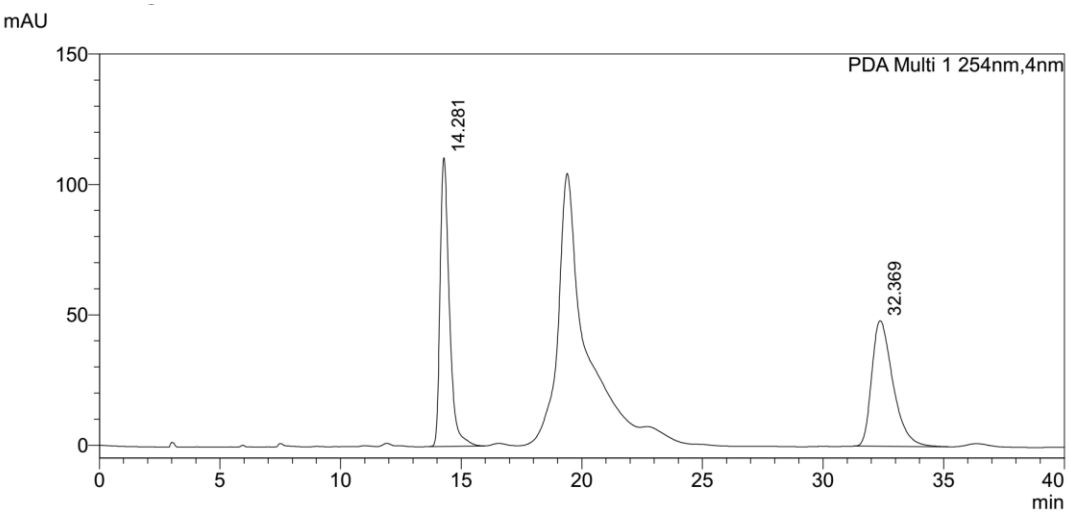
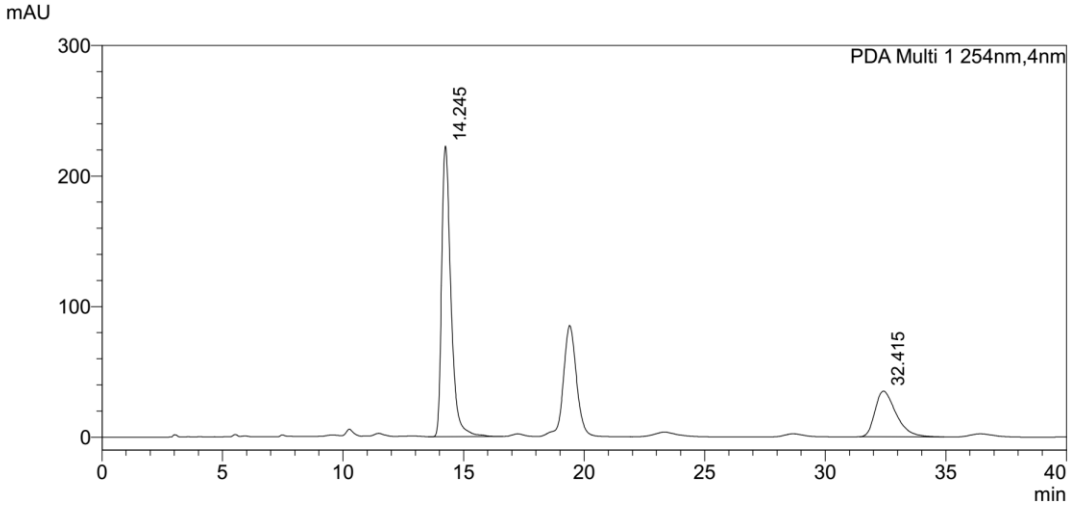


<Peak Table>

PDA Ch1 254nm

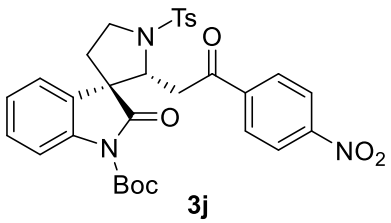
Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.281	110738	69.687	2958905	50.151
2	32.369	48170	30.313	2941085	49.849
Total		158908	100.000	5899989	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.245	222640	86.424	5966224	73.691
2	32.415	34975	13.576	2130065	26.309
Total		257615	100.000	8096289	100.000



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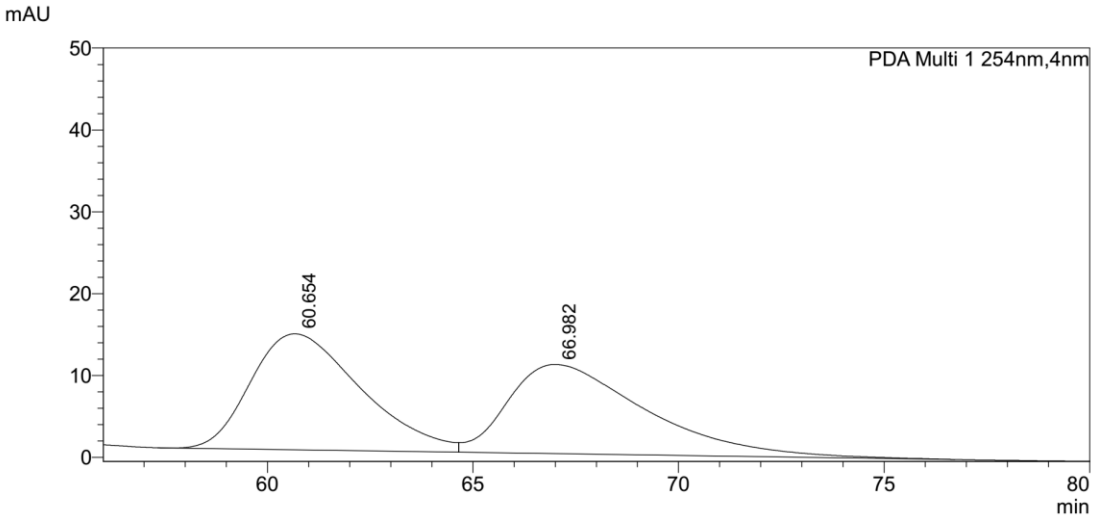
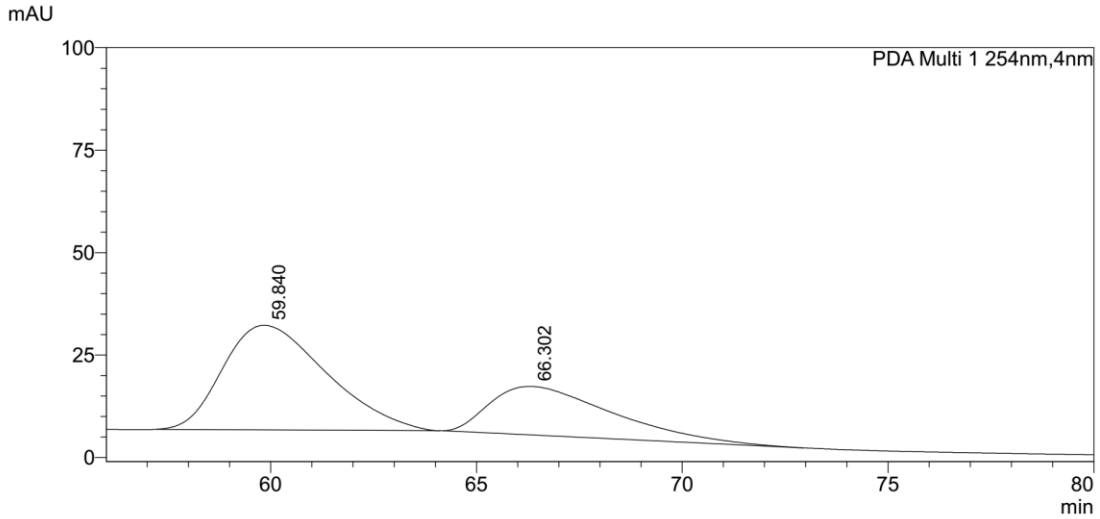


<Peak Table>

PDA Ch1 254nm

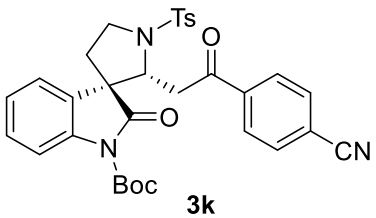
Peak#	Ret. Time	Height	Height%	Area	Area%
1	60.654	14170	56.565	2645173	50.999
2	66.982	10881	43.435	2541539	49.001
Total		25051	100.000	5186713	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	59.840	25504	68.300	4472440	64.390
2	66.302	11837	31.700	2473449	35.610
Total		37341	100.000	6945889	100.000



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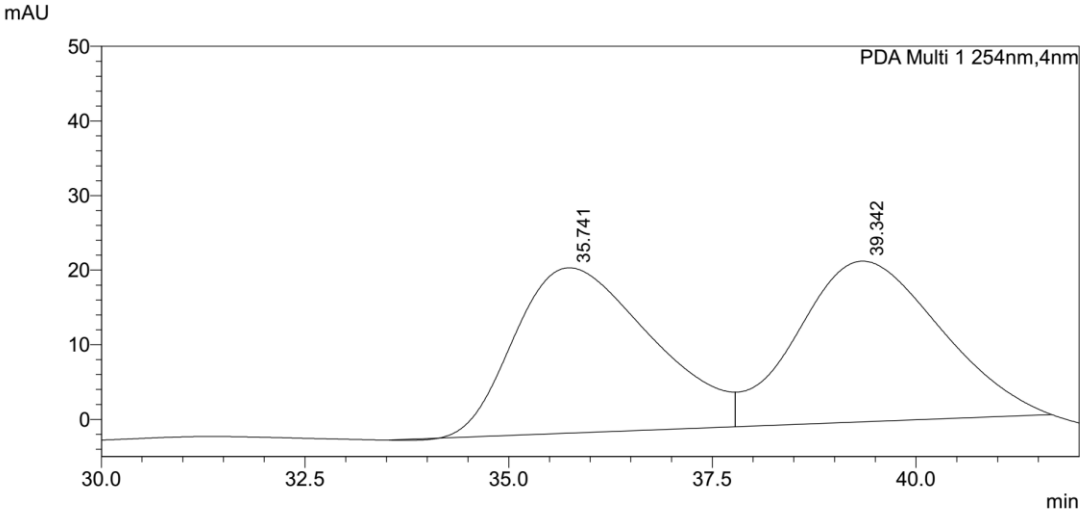
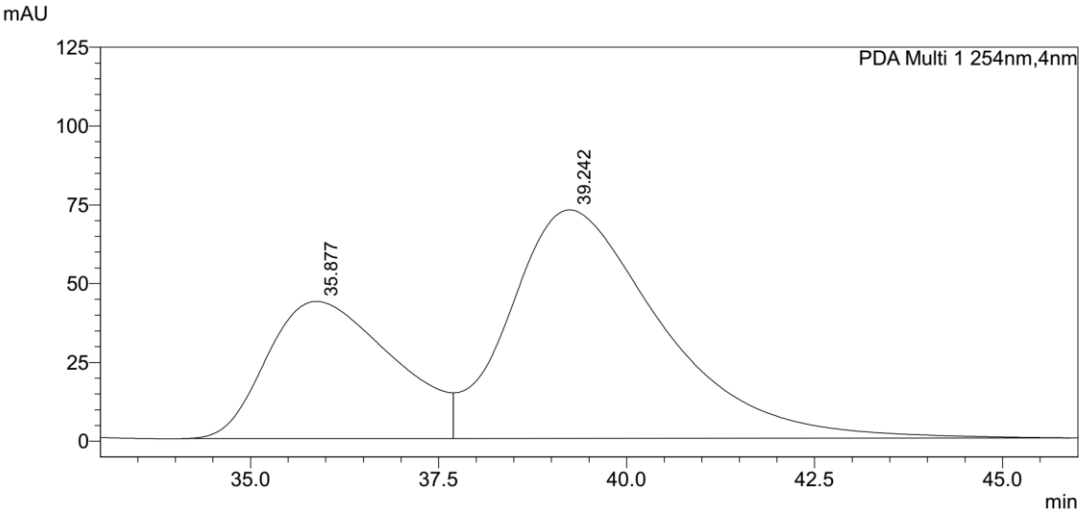


<Peak Table>

PDA Ch1 254nm

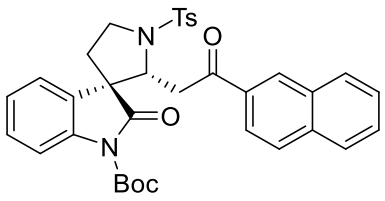
Peak#	Ret. Time	Height	Height%	Area	Area%
1	35.741	22169	50.714	2597438	49.646
2	39.342	21545	49.286	2634433	50.354
Total		43714	100.000	5231871	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	35.877	43518	37.518	5092222	33.298
2	39.242	72473	62.482	10200811	66.702
Total		115990	100.000	15293032	100.000



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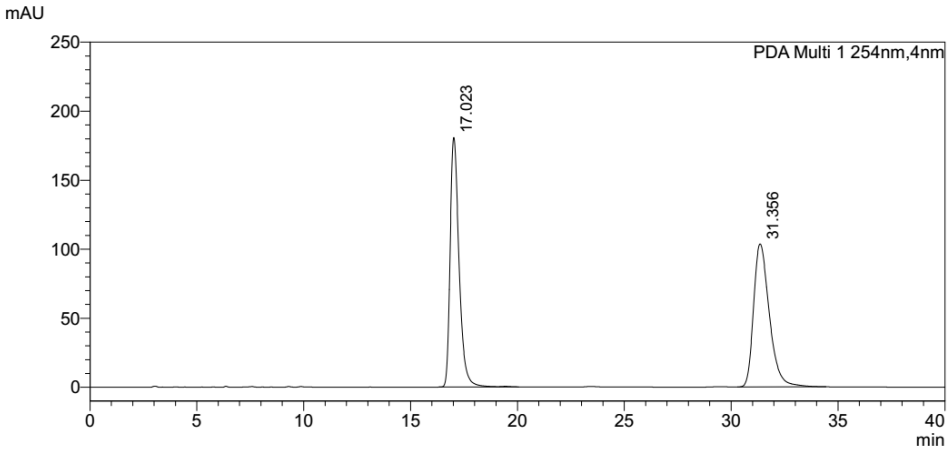
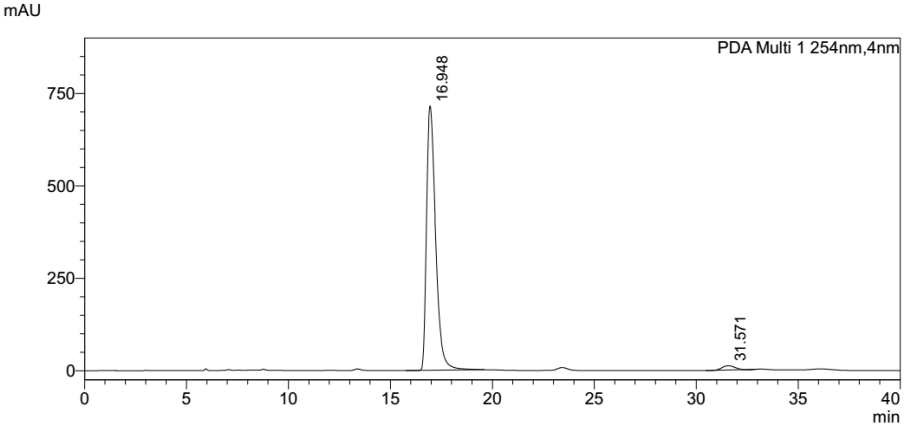


<Peak Table>

PDA Ch1 254nm

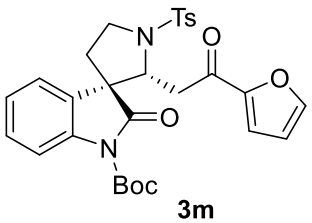
Peak#	Ret. Time	Height	Height%	Area	Area%
1	17.023	180839	63.574	5253629	49.700
2	31.356	103615	36.426	5317133	50.300
Total		284455	100.000	10570762	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.948	715577	98.422	22170492	98.050
2	31.571	11471	1.578	440910	1.950
Total		727048	100.000	22611401	100.000



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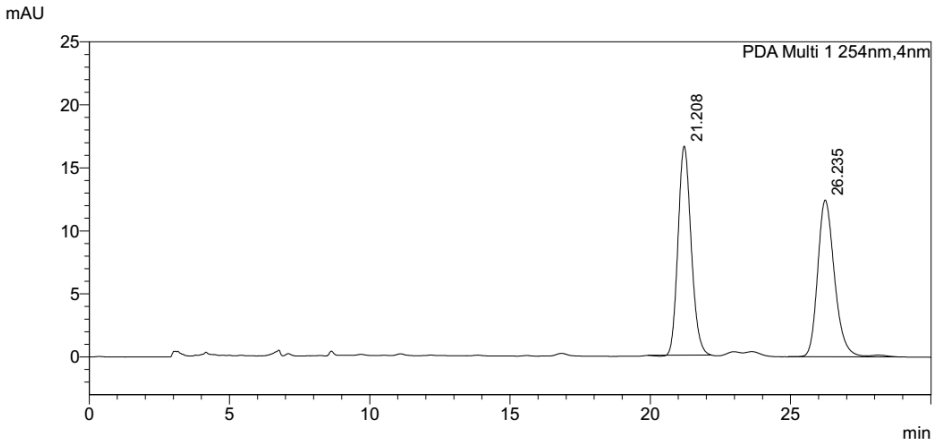
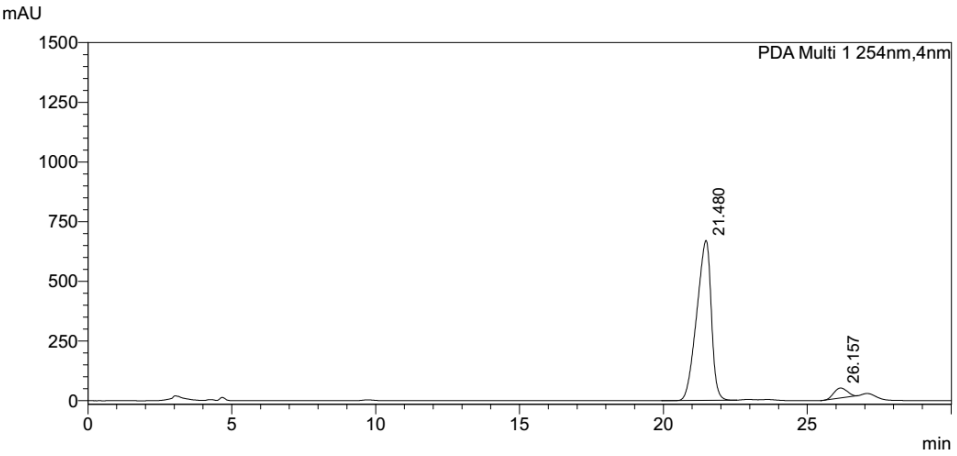


<Peak Table>

PDA Ch1 254nm

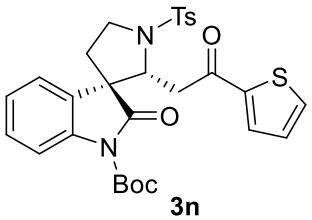
Peak#	Ret. Time	Height	Height%	Area	Area%
1	21.208	16596	57.157	539732	50.766
2	26.235	12440	42.843	523437	49.234
Total		29035	100.000	1063168	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	21.480	670078	94.144	23494452	94.584
2	26.157	41681	5.856	1345338	5.416
Total		711759	100.000	24839789	100.000



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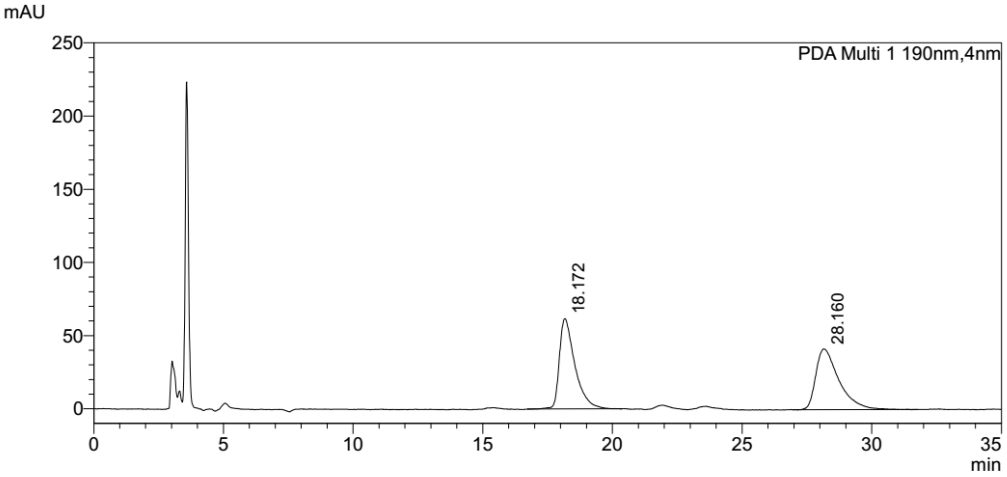
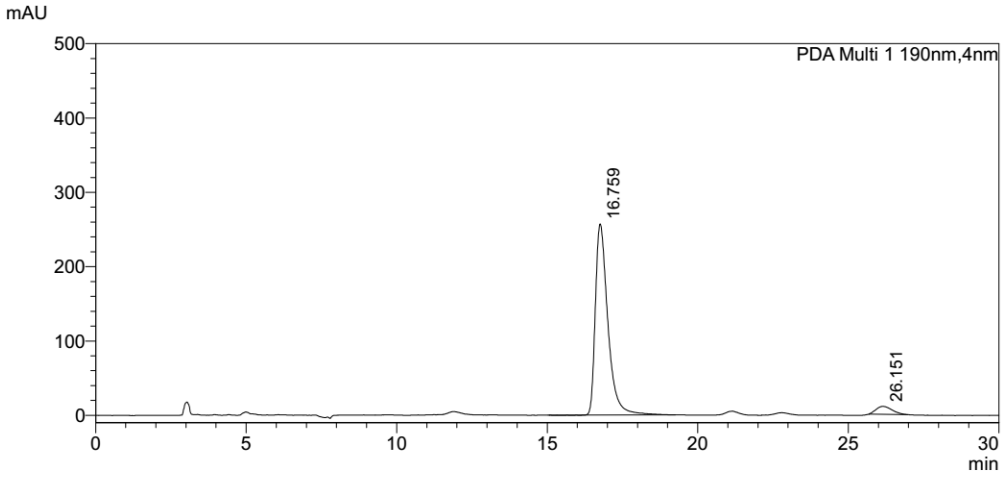


<Peak Table>

PDA Ch1 190nm

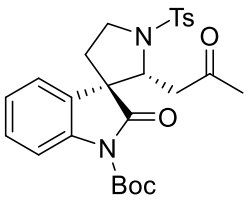
Peak#	Ret. Time	Height	Height%	Area	Area%
1	18.172	61633	59.717	2572816	49.829
2	28.160	41575	40.283	2590489	50.171
Total		103209	100.000	5163305	100.000



<Peak Table>

PDA Ch1 190nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.759	257149	96.025	7424333	94.984
2	26.151	10646	3.975	392033	5.016
Total		267795	100.000	7816365	100.000



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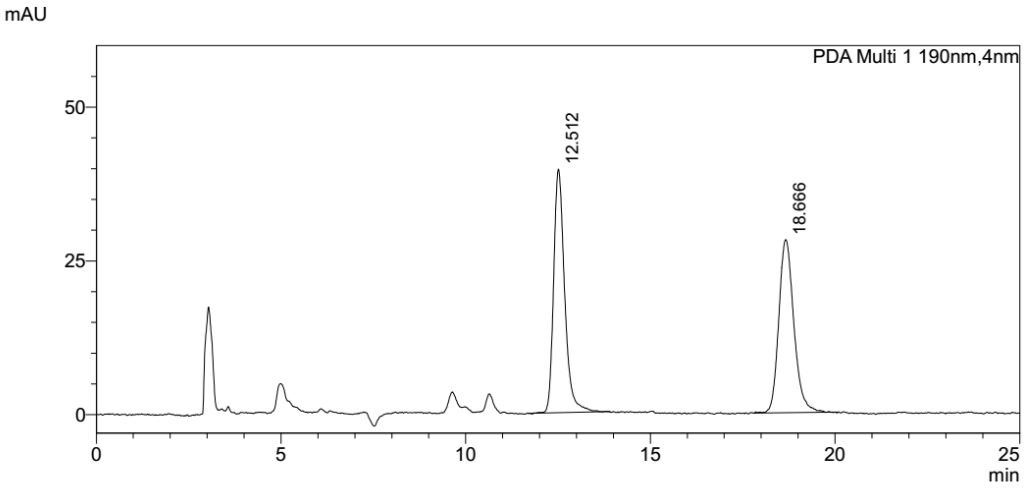
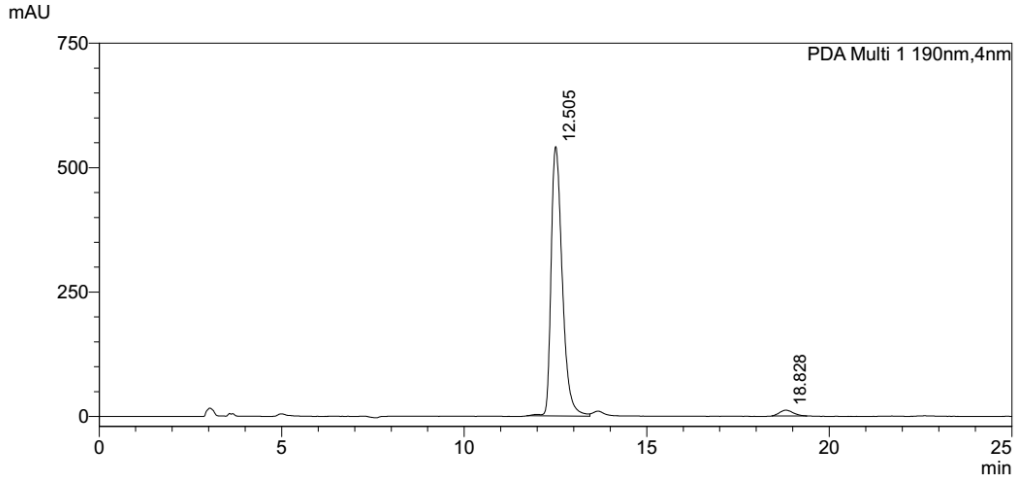


<Peak Table>

PDA Ch1 190nm

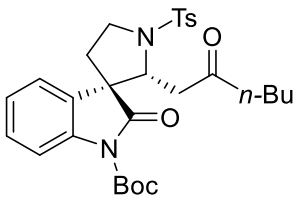
Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.512	39626	58.445	819720	50.540
2	18.666	28174	41.555	802216	49.460
Total		67800	100.000	1621935	100.000



<Peak Table>

PDA Ch1 190nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.505	541739	97.948	11515772	97.502
2	18.828	11348	2.052	294992	2.498
Total		553087	100.000	11810764	100.000



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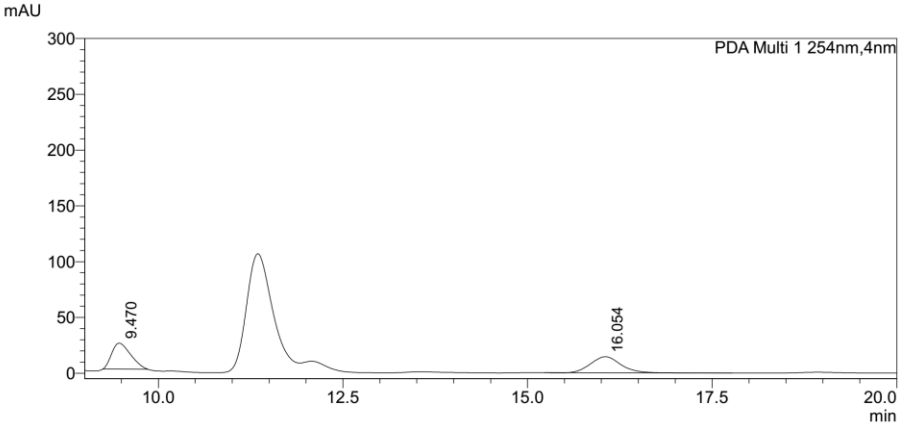
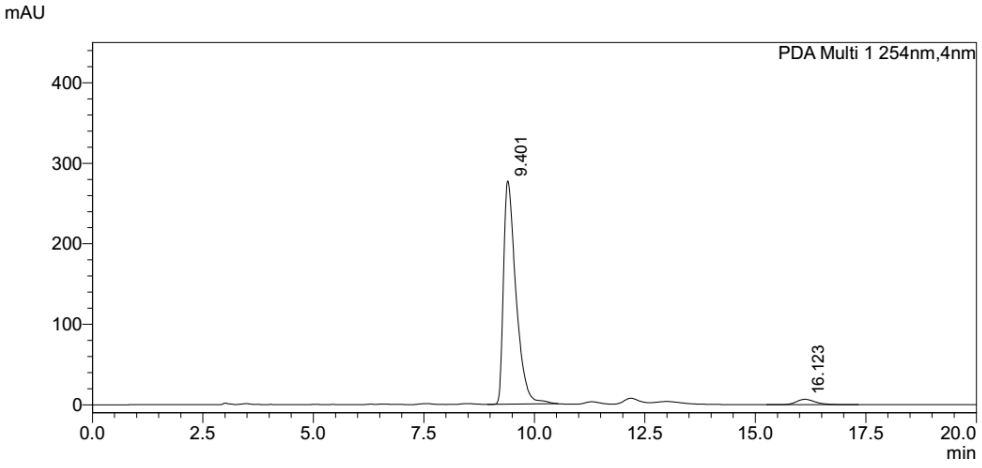


<Peak Table>

PDA Ch1 254nm

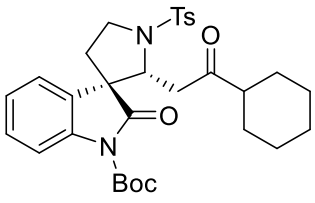
Peak#	Ret. Time	Height	Height%	Area	Area%
1	9.470	23309	61.810	414003	50.453
2	16.054	14402	38.190	406566	49.547
Total		37711	100.000	820569	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	9.401	277390	97.656	5450638	96.521
2	16.123	6659	2.344	196482	3.479
Total		284049	100.000	5647120	100.000



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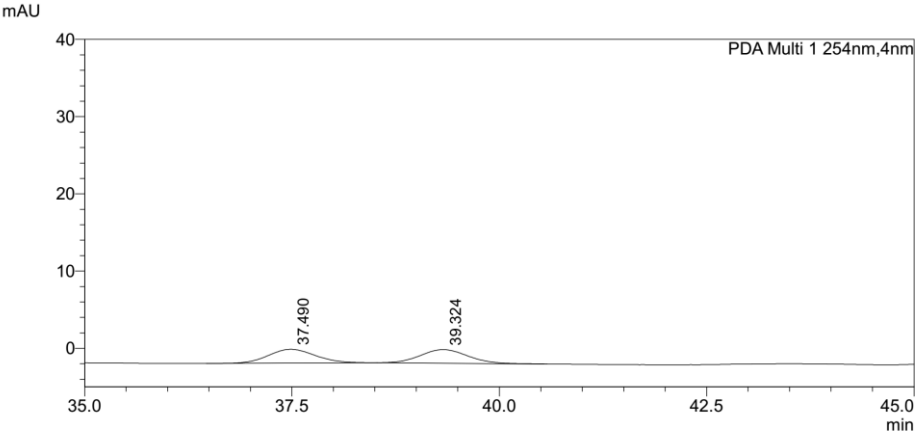
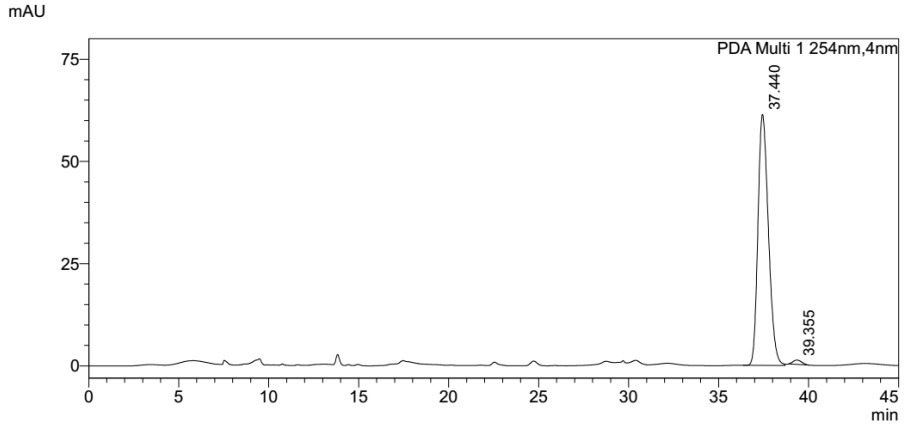


<Peak Table>

PDA Ch1 254nm

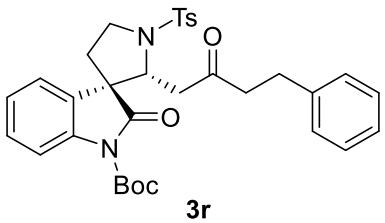
Peak#	Ret. Time	Height	Height%	Area	Area%
1	37.490	1778	50.242	69570	49.662
2	39.324	1761	49.758	70516	50.338
Total		3538	100.000	140085	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	37.440	61412	98.309	2484383	98.605
2	39.355	1056	1.691	35142	1.395
Total		62469	100.000	2519525	100.000



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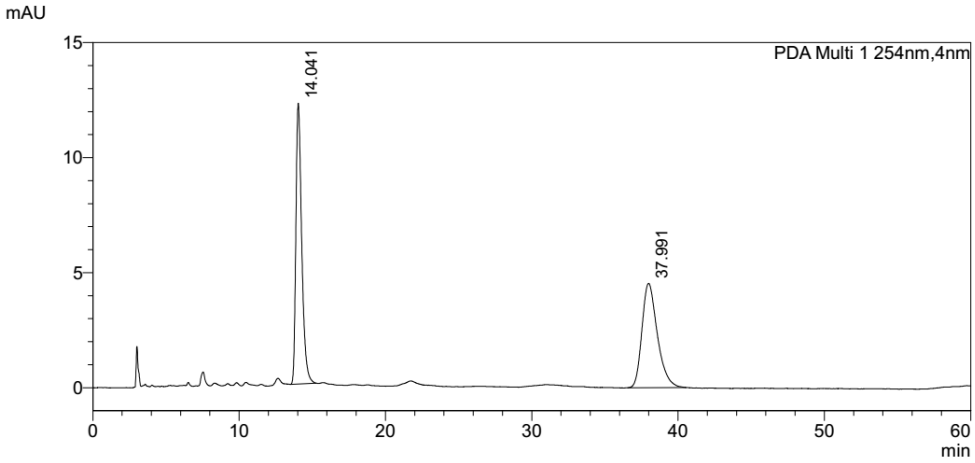
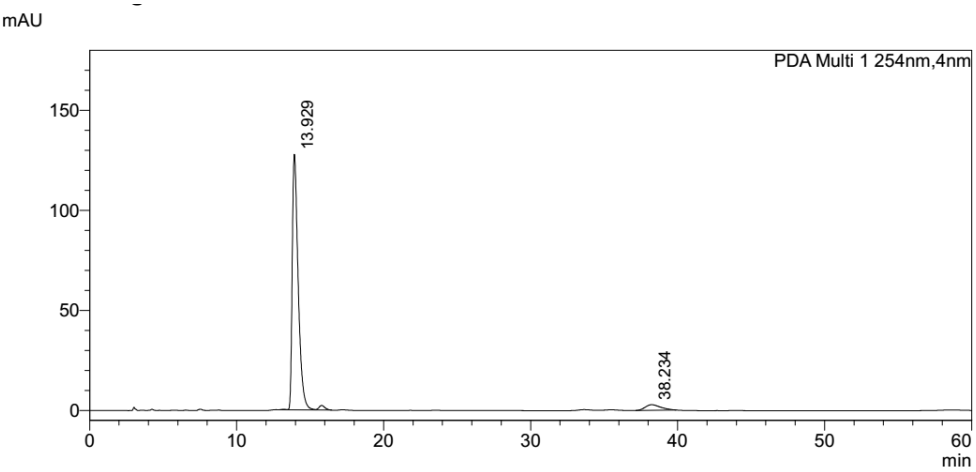


<Peak Table>

PDA Ch1 254nm

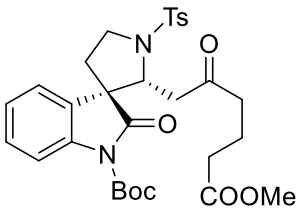
Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.041	12197	72.897	338900	50.569
2	37.991	4535	27.103	331272	49.431
Total		16732	100.000	670173	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	13.929	127751	97.857	3658996	94.716
2	38.234	2798	2.143	204131	5.284
Total		130549	100.000	3863127	100.000



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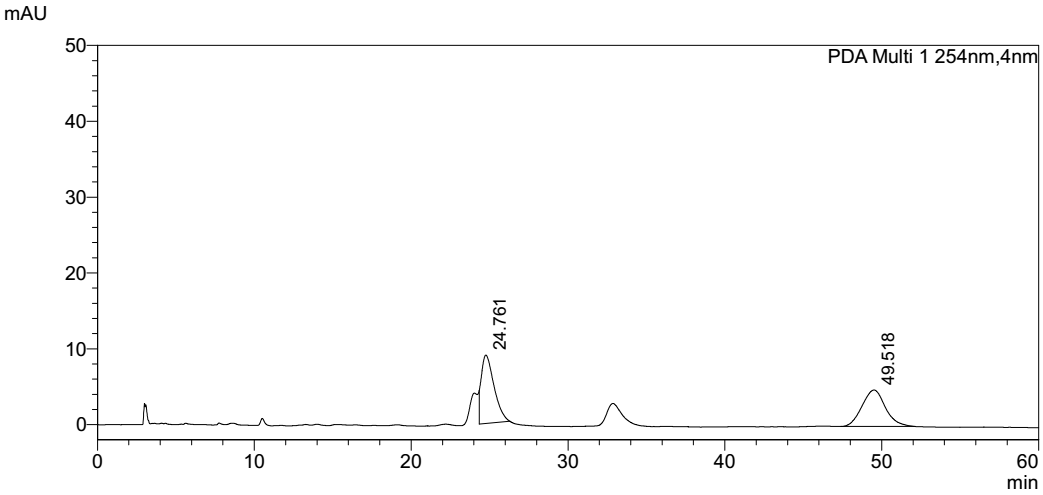
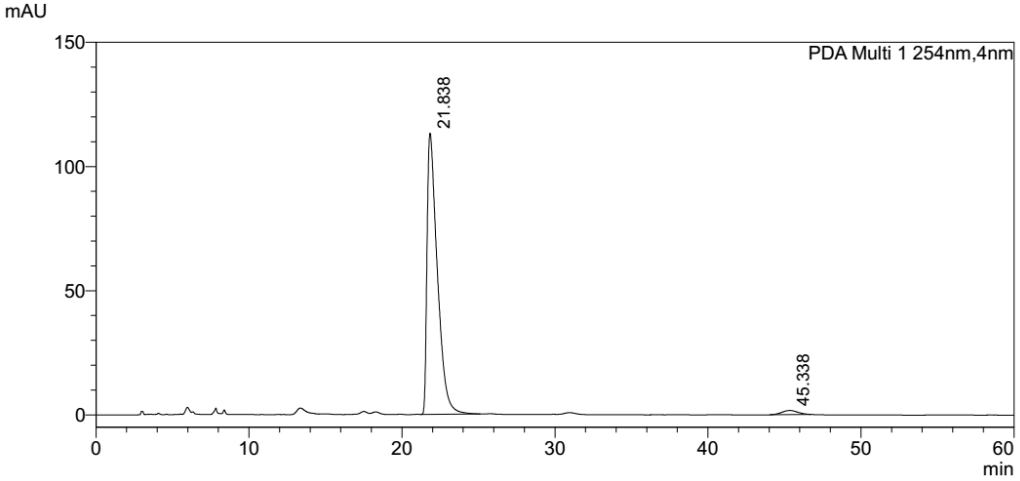


<Peak Table>

PDA Ch1 254nm

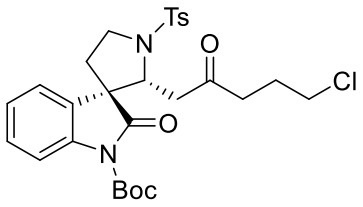
Peak#	Ret. Time	Height	Height%	Area	Area%
1	24.761	9026	65.224	511457	50.609
2	49.518	4812	34.776	499147	49.391
Total		13838	100.000	1010604	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	21.838	113218	98.546	5299472	97.771
2	45.338	1670	1.454	120835	2.229
Total		114889	100.000	5420307	100.000



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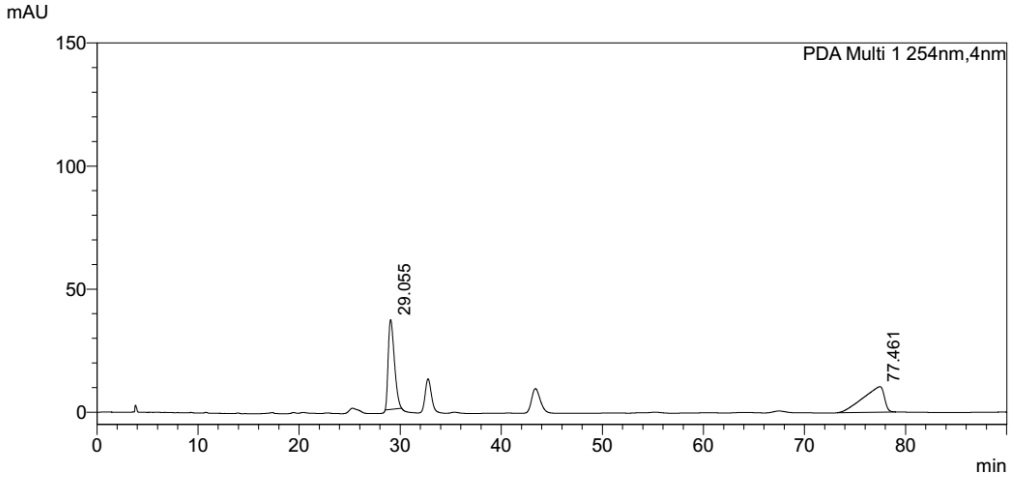
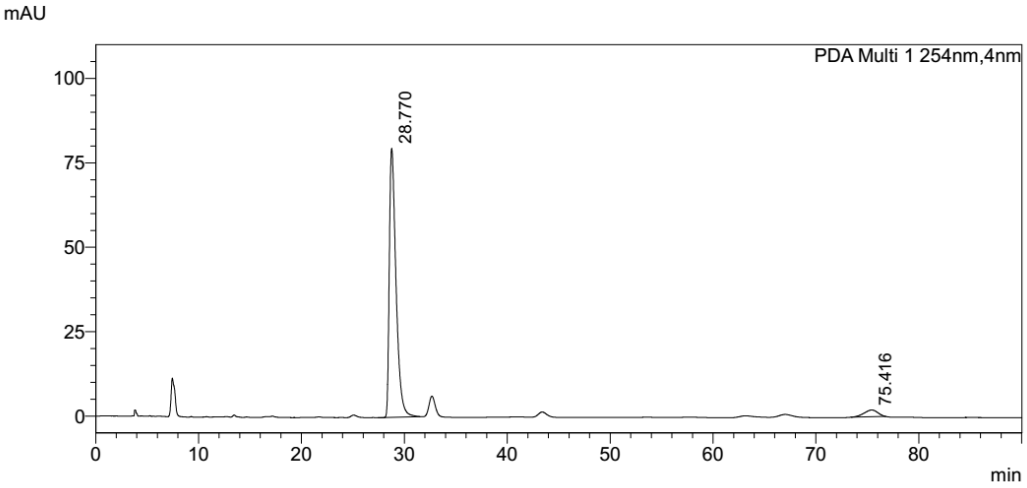


<Peak Table>

PDA Ch1 254nm

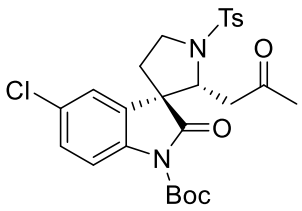
Peak#	Ret. Time	Height	Height%	Area	Area%
1	29.055	36478	77.890	1541836	50.306
2	77.461	10354	22.110	1523052	49.694
Total		46832	100.000	3064888	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	28.770	79707	97.575	3608104	95.173
2	75.416	1981	2.425	182989	4.827
Total		81688	100.000	3791092	100.000



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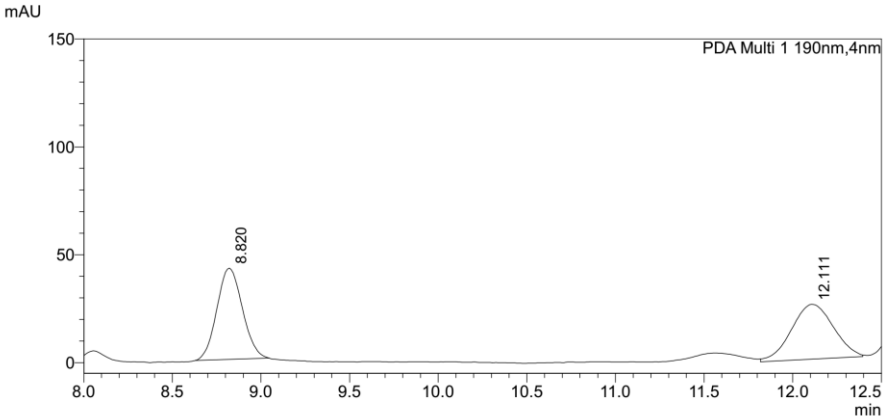
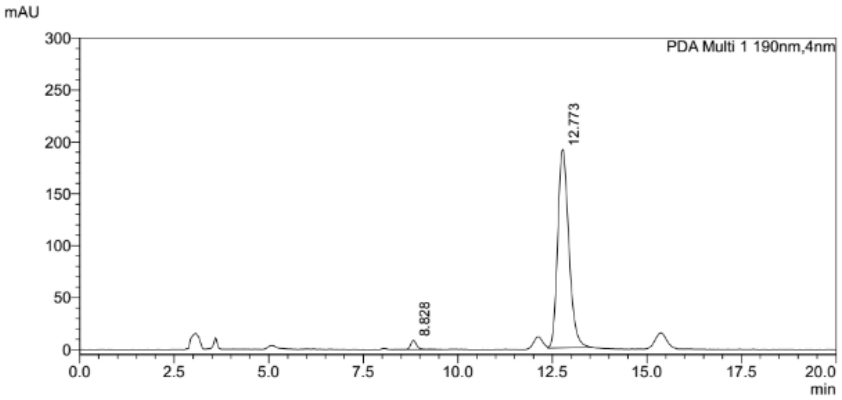


<Peak Table>

PDA Ch1 190nm

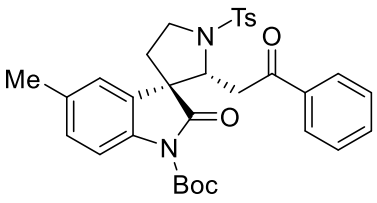
Peak#	Ret. Time	Height	Height%	Area	Area%
1	8.820	42299	62.481	423825	50.337
2	12.111	25400	37.519	418156	49.663
Total		67699	100.000	841980	100.000



<Peak Table>

PDA Ch1 190nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	8.828	8556	4.286	92762	2.309
2	12.773	191096	95.714	3924636	97.691
Total		199652	100.000	4017398	100.000



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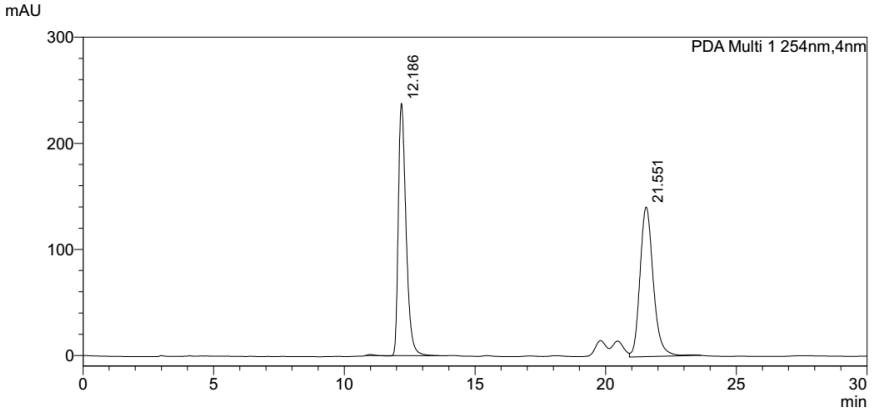
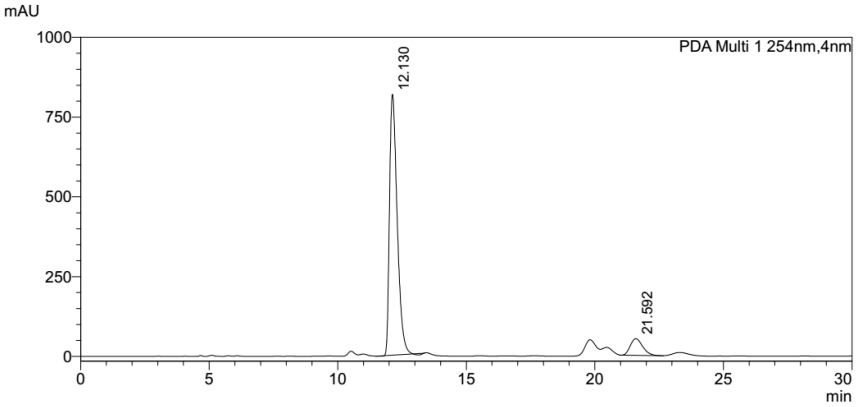


<Peak Table>

PDA Ch1 254nm

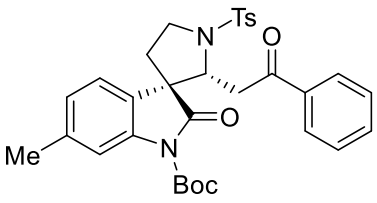
Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.186	237889	62.763	4897110	49.523
2	21.551	141140	37.237	4991349	50.477
Total		379029	100.000	9888459	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.130	817546	93.990	16894298	90.626
2	21.592	52272	6.010	1747582	9.374
Total		869818	100.000	18641880	100.000



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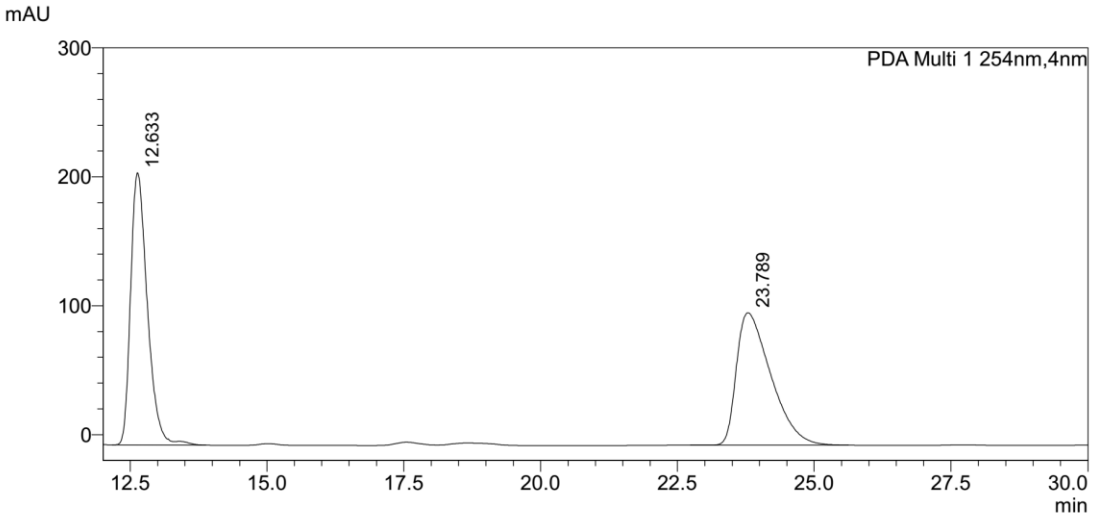
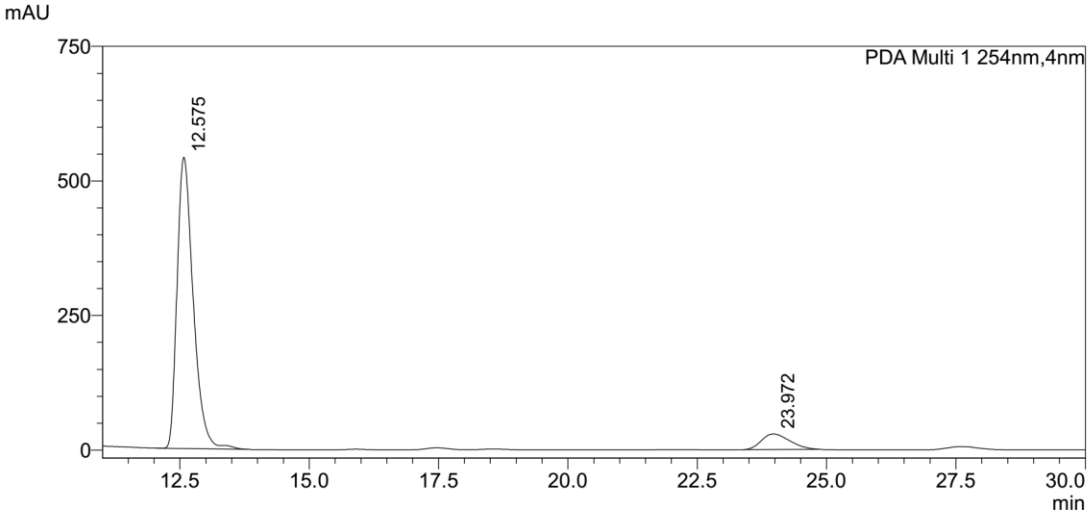


<Peak Table>

PDA Ch1 254nm

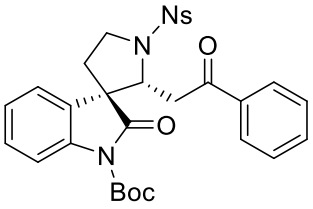
Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.633	211097	67.287	4495725	50.074
2	23.789	102630	32.713	4482481	49.926
Total		313728	100.000	8978205	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	12.575	541298	94.930	11759253	91.464
2	23.972	28912	5.070	1097518	8.536
Total		570209	100.000	12856771	100.000



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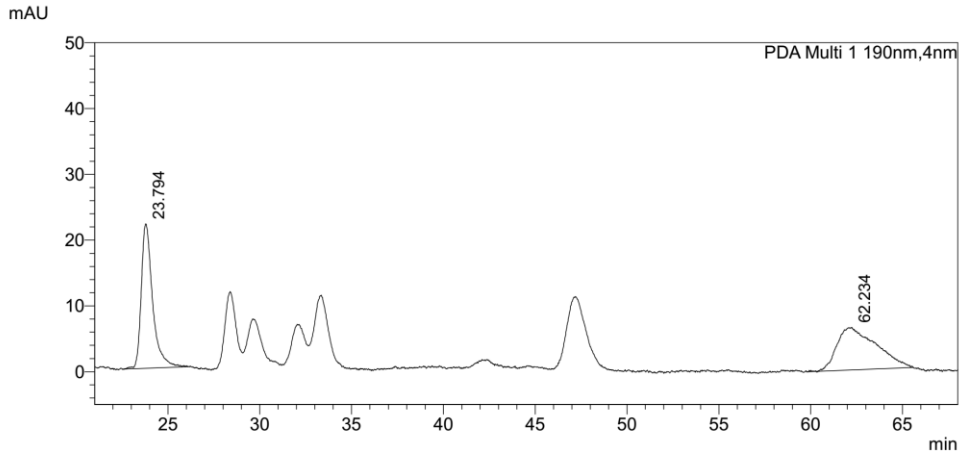
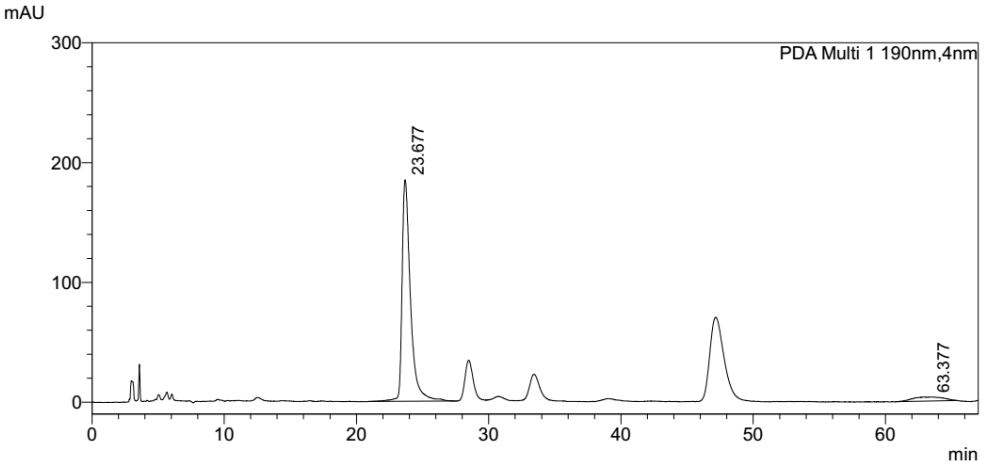


<Peak Table>

PDA Ch1 190nm

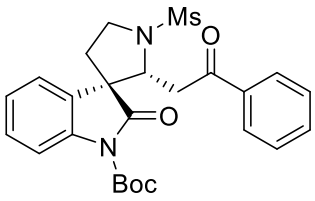
Peak#	Ret. Time	Height	Height%	Area	Area%
1	23.794	21982	77.260	947606	49.753
2	62.234	6470	22.740	957007	50.247
Total		28452	100.000	1904613	100.000



<Peak Table>

PDA Ch1 190nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	23.677	184960	98.166	8197015	93.601
2	63.377	3456	1.834	560341	6.399
Total		188416	100.000	8757356	100.000



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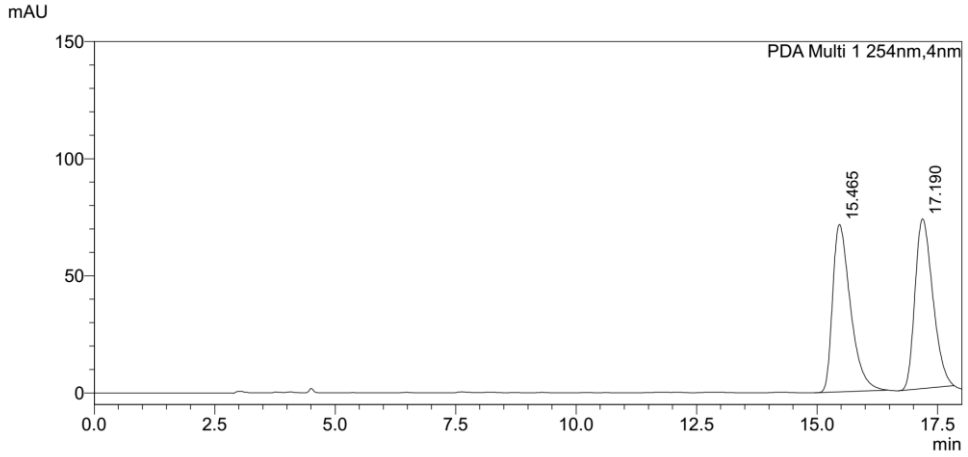
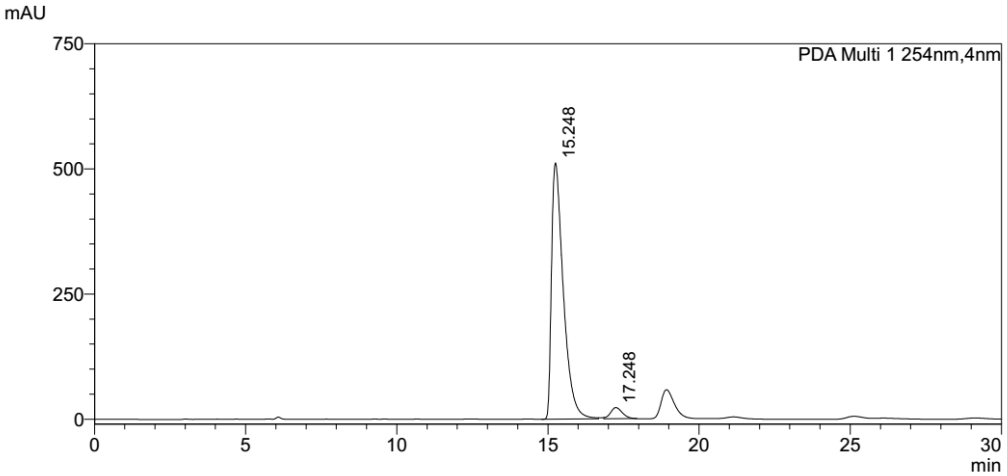


<Peak Table>

PDA Ch1 254nm

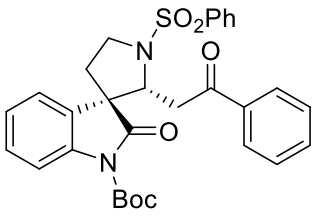
Peak#	Ret. Time	Height	Height%	Area	Area%
1	15.465	71477	49.672	1846071	49.727
2	17.190	72421	50.328	1866319	50.273
Total		143899	100.000	3712390	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	15.248	511956	95.832	13874201	95.840
2	17.248	22268	4.168	602174	4.160
Total		534224	100.000	14476375	100.000



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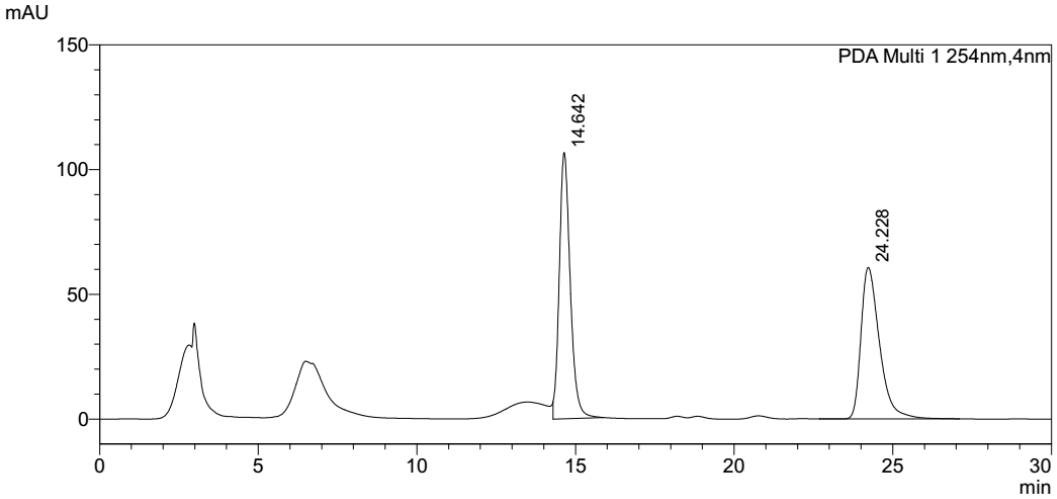
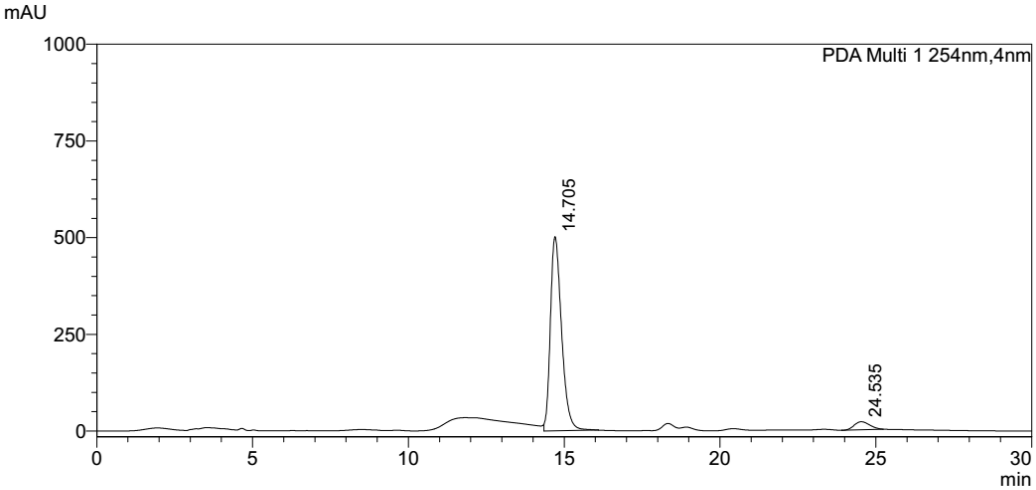


<Peak Table>

PDA Ch1 254nm

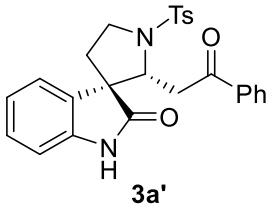
Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.642	106762	63.730	2559501	50.884
2	24.228	60761	36.270	2470582	49.116
Total		167523	100.000	5030083	100.000



<Peak Table>

PDA Ch1 254nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	14.705	501897	96.017	12541471	94.553
2	24.535	20821	3.983	722550	5.447
Total		522719	100.000	13264021	100.000



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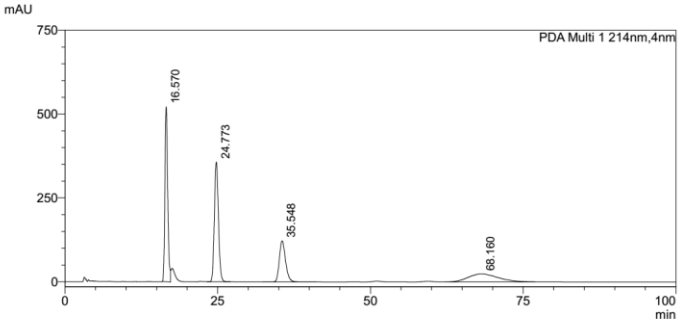
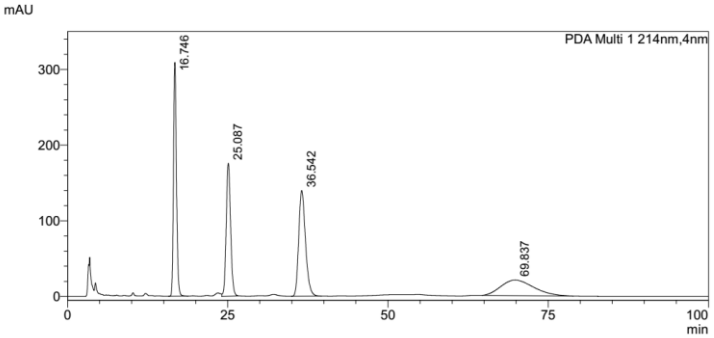


<Peak Table>

PDA Ch1 214nm

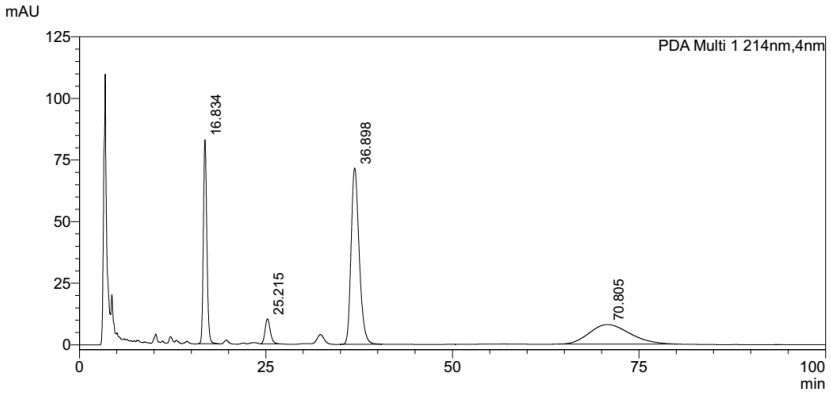
Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.570	521364	50.849	16483223	33.033
2	24.773	357232	34.841	16505533	33.078
3	35.548	122880	11.985	8701808	17.439
4	68.160	23847	2.326	8208889	16.451
Total		1025323	100.000	49899452	100.000



<Peak Table>

PDA Ch1 214nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.746	309085	47.912	9943187	27.651
2	25.087	175785	27.249	8387483	23.325
3	36.542	139703	21.656	10297555	28.637
4	69.837	20535	3.183	7331132	20.387
Total		645108	100.000	35959357	100.000



<Peak Table>

PDA Ch1 214nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	16.834	82788	48.016	2679647	23.195
2	25.215	10147	5.885	489887	4.240
3	36.898	71604	41.529	5395755	46.706
4	70.805	7879	4.570	2987355	25.859
Total		172417	100.000	11552643	100.000

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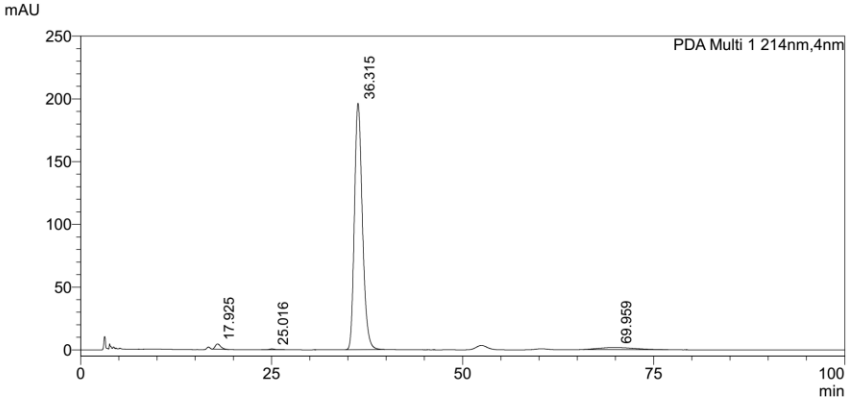
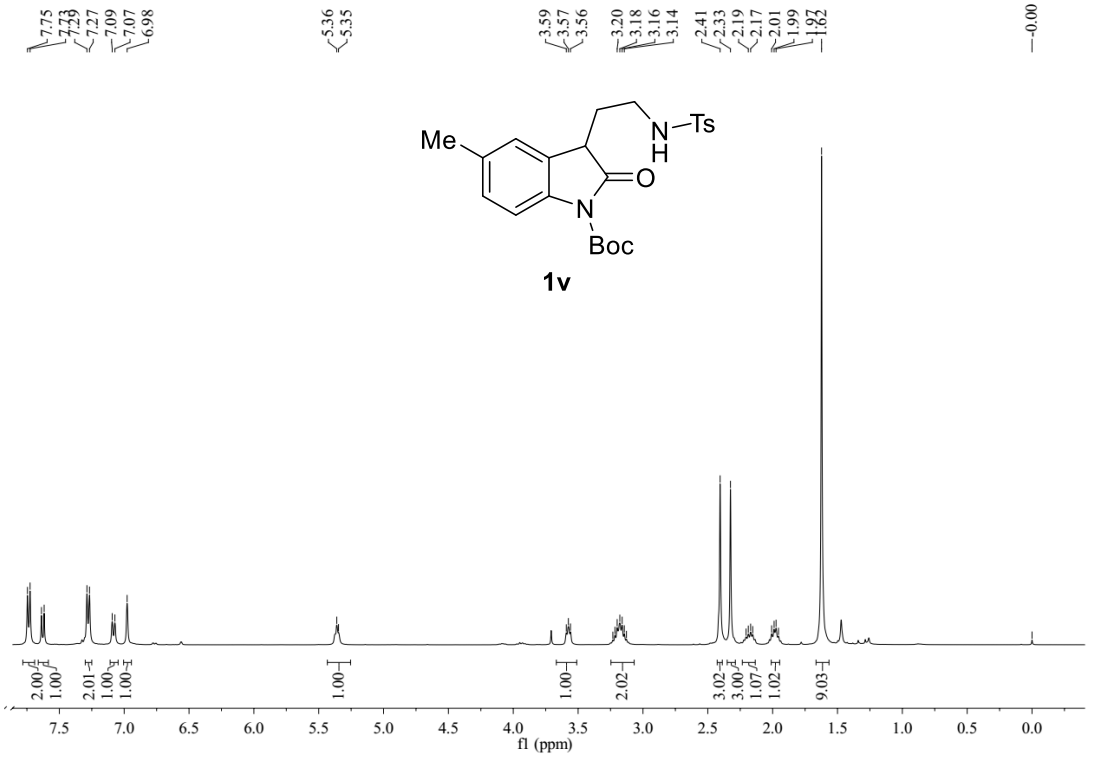


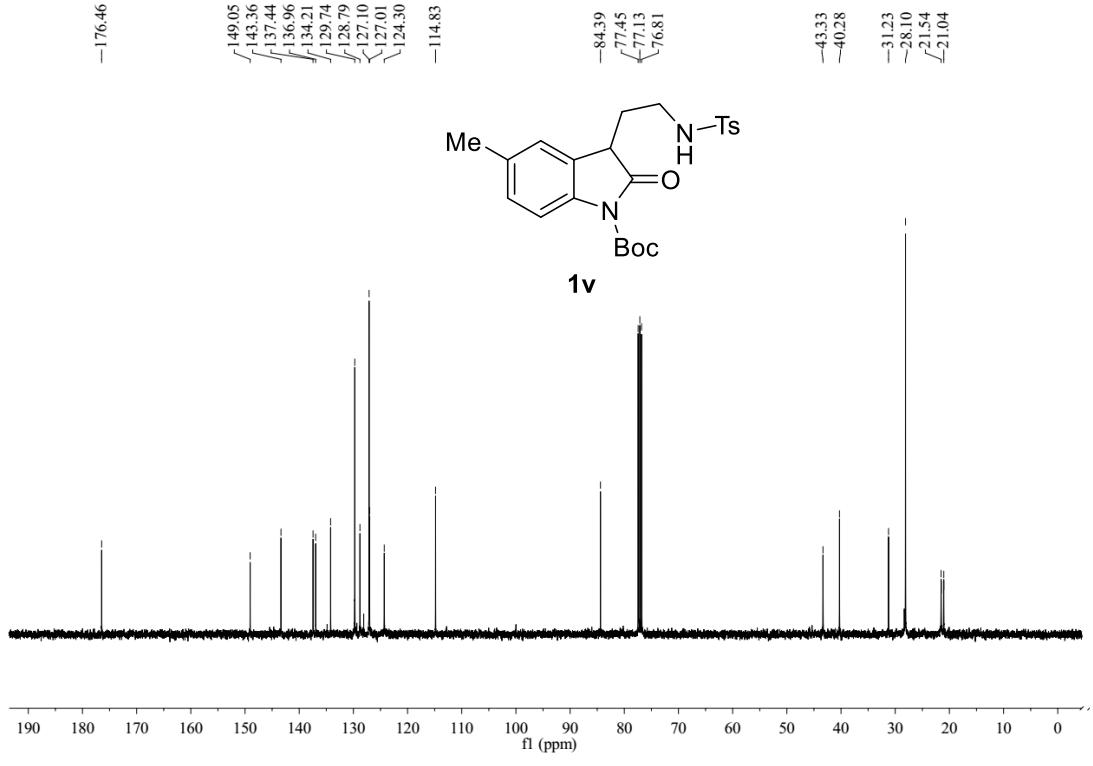
<Peak Table>

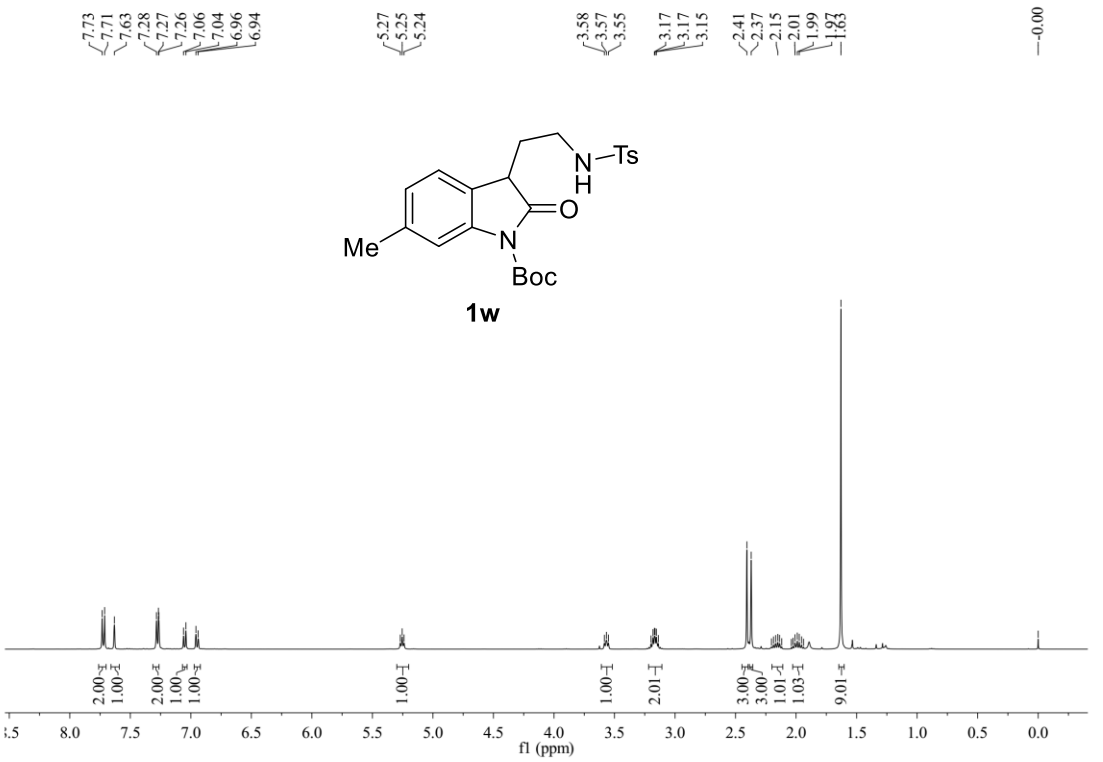
PDA Ch1 214nm

Peak#	Ret. Time	Height	Height%	Area	Area%
1	17.925	4226	2.084	207525	1.382
2	25.016	615	0.303	31149	0.207
3	36.315	196375	96.824	14253785	94.945
4	69.959	1600	0.789	520228	3.465
Total		202817	100.000	15012687	100.000

10. NMR Spectra



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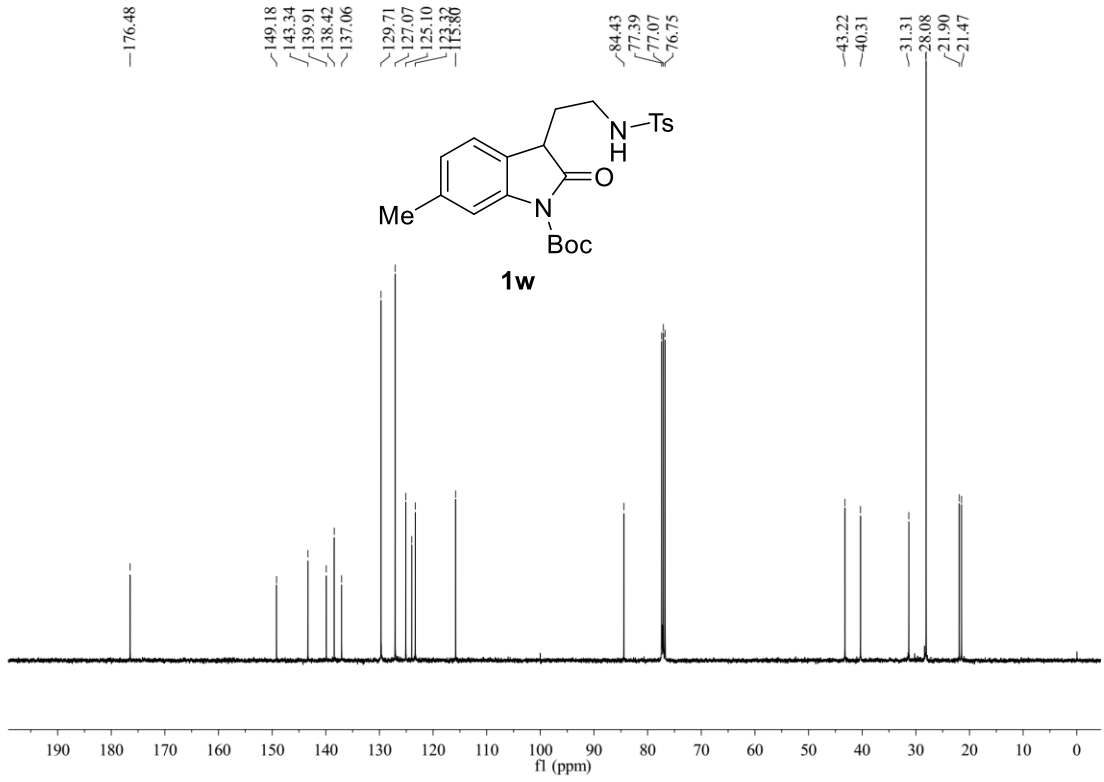
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21.47
Ts
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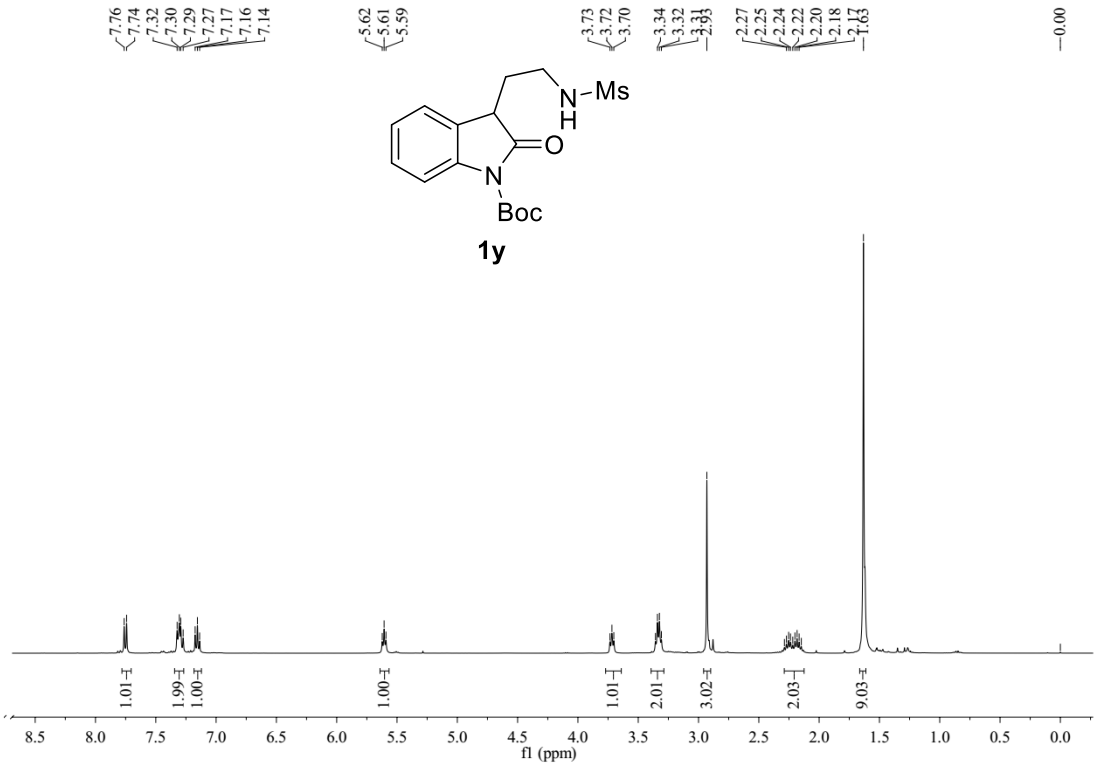
H
O
N
Me
Boc
1w
f1 (ppm)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7.16
7.14
5.62
5.61
5.59
3.73
3.72
3.70
3.34
3.32
2.27
2.25
2.24
2.22
2.20
2.18
1.63
0.00
N
Ms
H
O
N
Boc
1y
1.01
1.99
1.00
1.00
1.01
2.01
3.02
2.03
9.03
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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3.5
3.0
2.5
2.0
1.5
1.0
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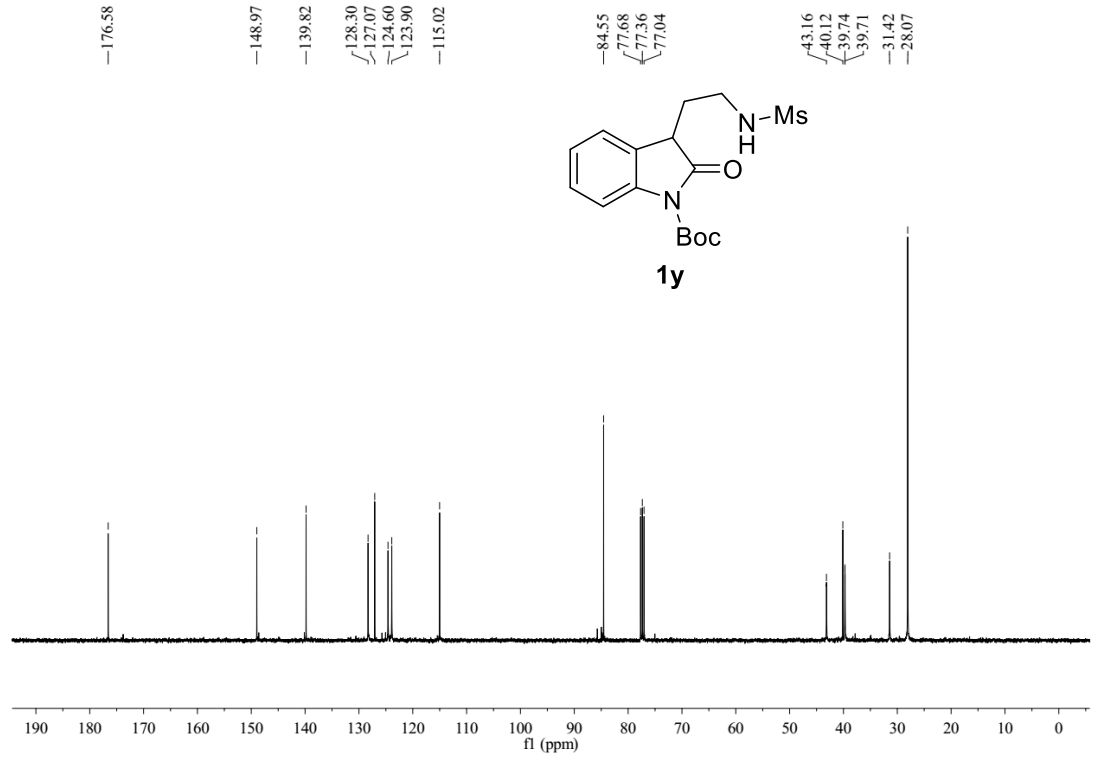
f1 (ppm)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124.60
123.90
115.02
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77.68
77.36
77.04
43.16
40.12
39.74
39.71
31.42
28.07
N
Ms
H
O
N
Boc
1y
190
180
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130
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110
100
90
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70
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50
40
30
20
10
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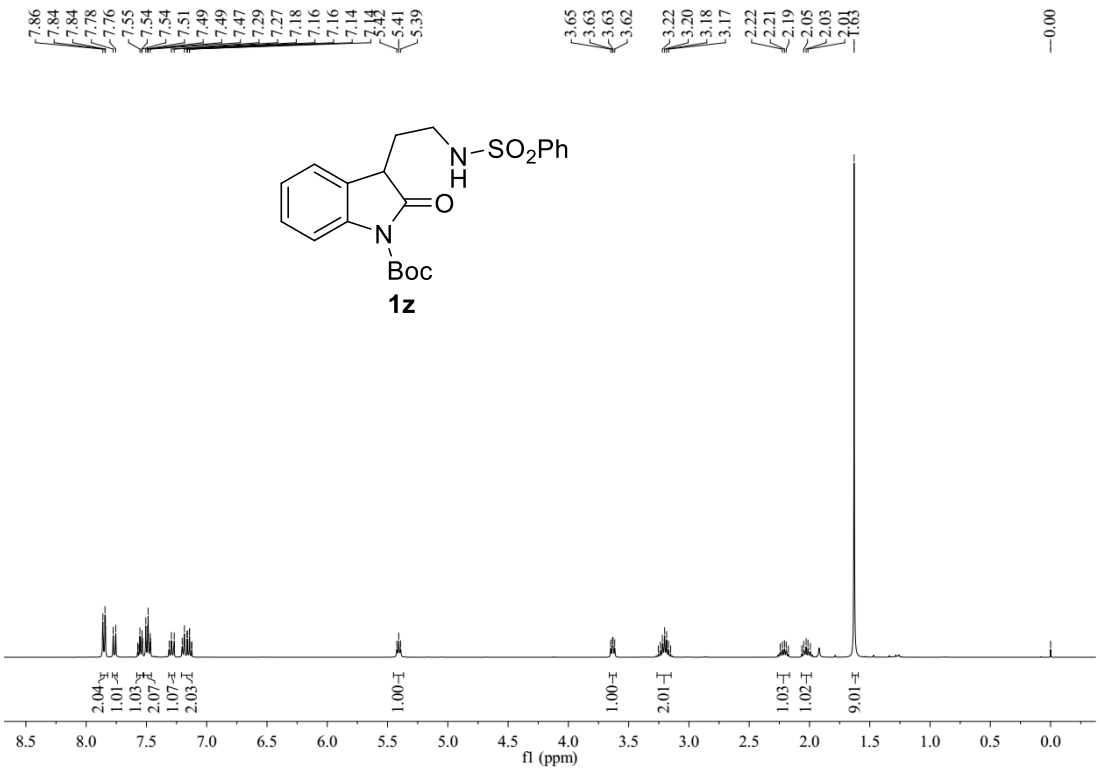
f1 (ppm)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7.18
7.16
7.14
5.41
5.39
3.65
3.63
3.63
3.62
3.22
3.20
3.18
3.17
2.22
2.21
2.19
2.05
2.03
1.83
0.00
N
SO2Ph
H
O
N
Boc
1z
2.04
1.01
1.03
2.07
1.07
2.03
1.00
1.00
2.01
1.03
1.02
9.01
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
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3.5
3.0
2.5
2.0
1.5
1.0
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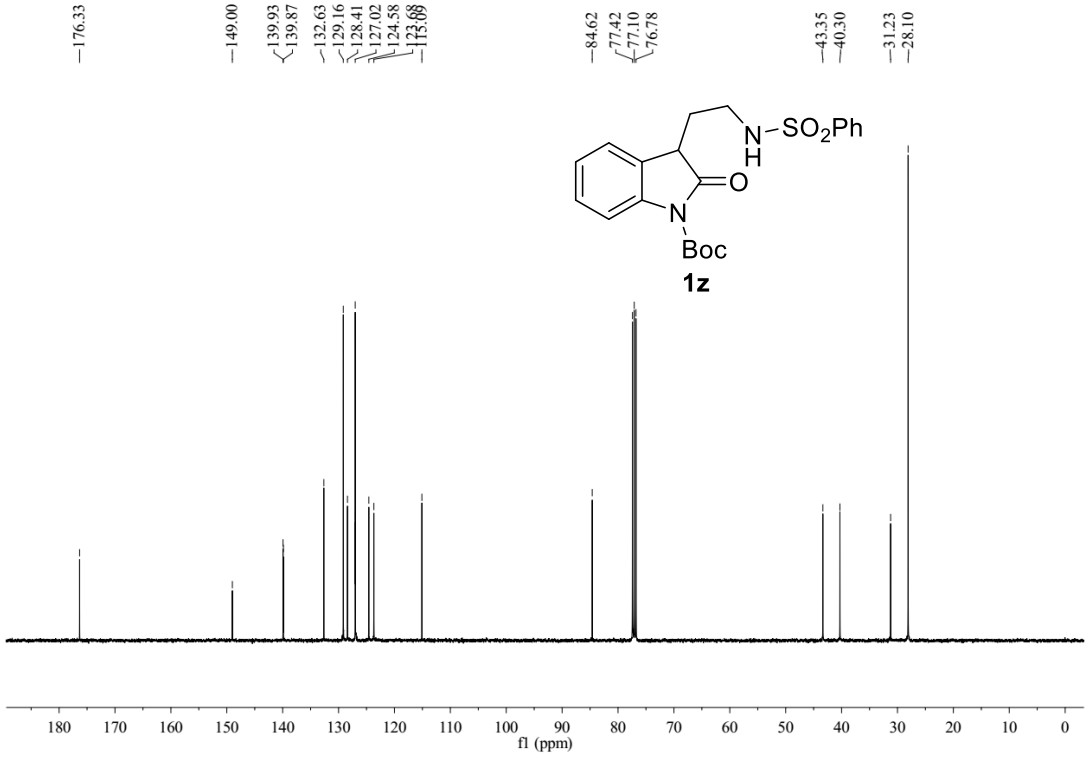
0.0
f1 (ppm)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129.16
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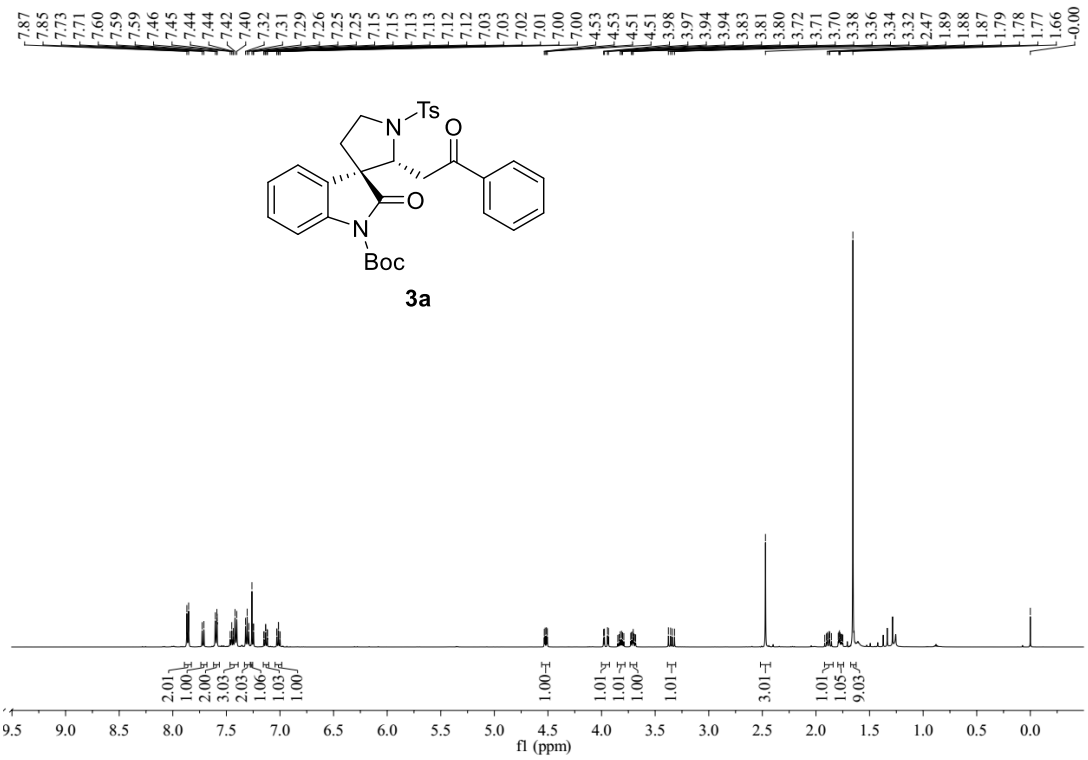
127.02
124.58
84.62
77.42
77.10
76.78
43.35
40.30
31.23
28.10
N
SO2Ph
H
O
N
Boc
1z
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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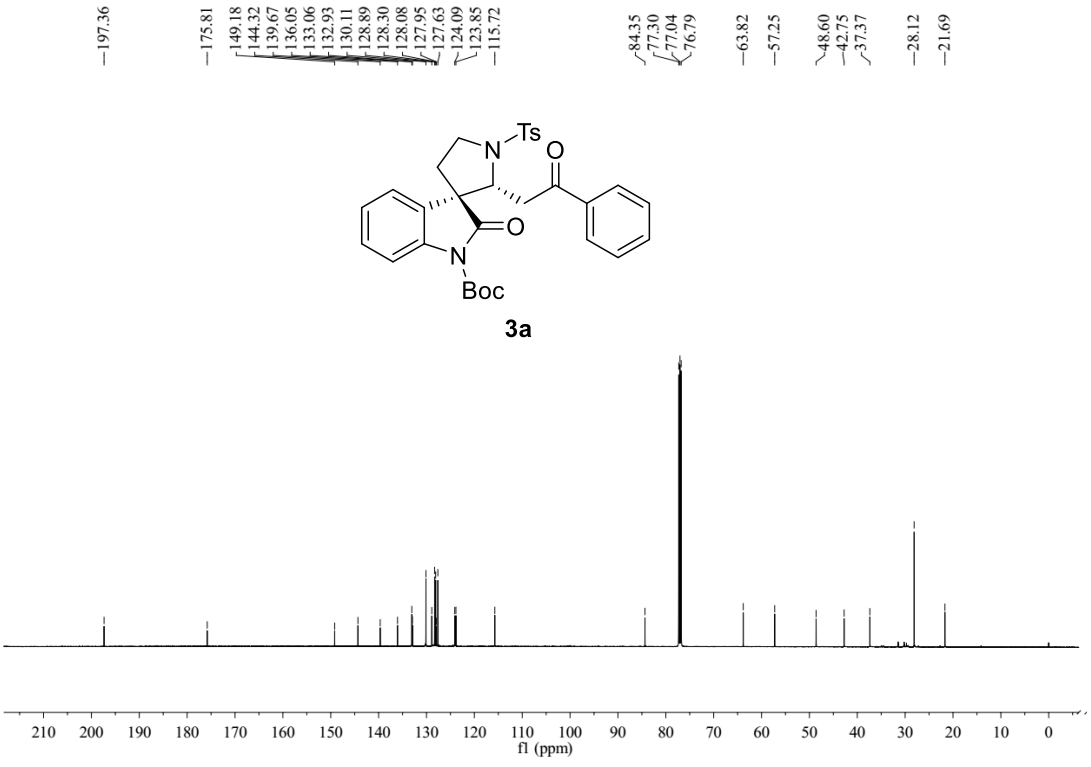
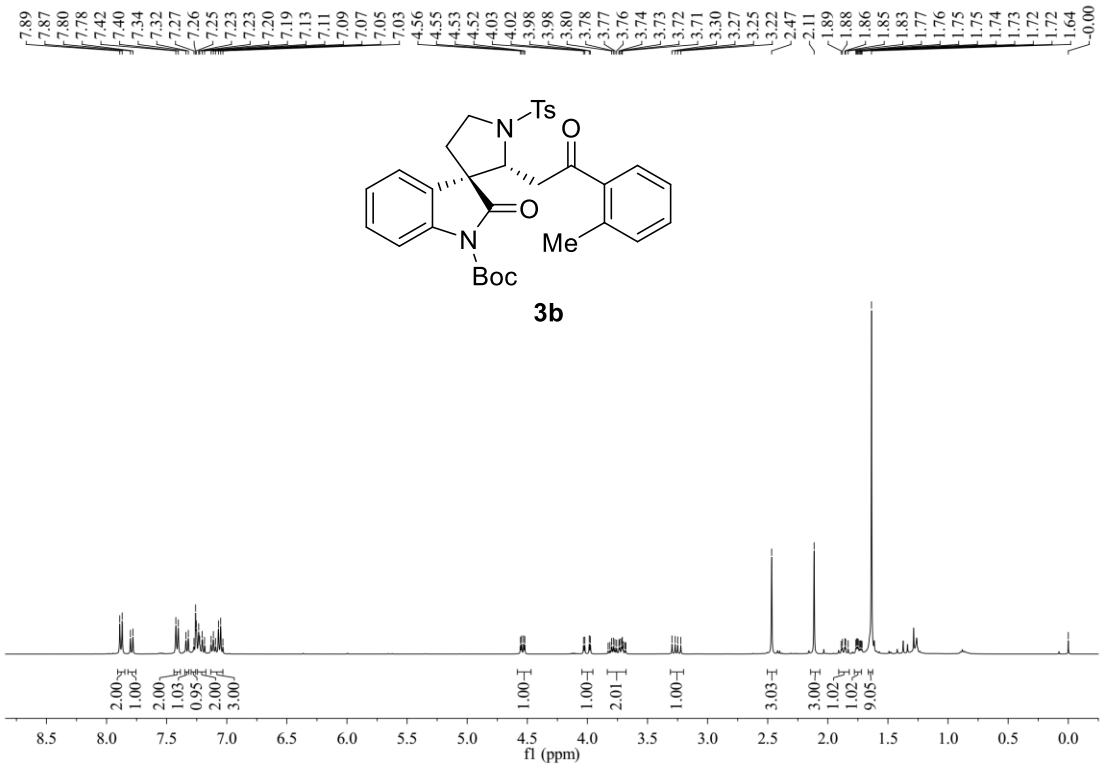
Ts
N
O
O
N
Boc
3a
2.01 1.00 2.00 3.03 2.03 1.06 1.03 1.00 1.00 1.01 1.01 1.00 1.01 3.01 1.01 1.05 9.03
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


197.36 175.81 149.18 144.32 139.67 136.05 133.06 132.93 130.11 128.89 128.30 128.08 127.95 127.63 124.09 123.85 115.72 84.35 77.30 77.04 76.79 63.82 57.25 48.60 42.75 37.37 28.12 21.69
Ts
N
O
O
N
Boc
3a
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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7.78
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7.40
7.34
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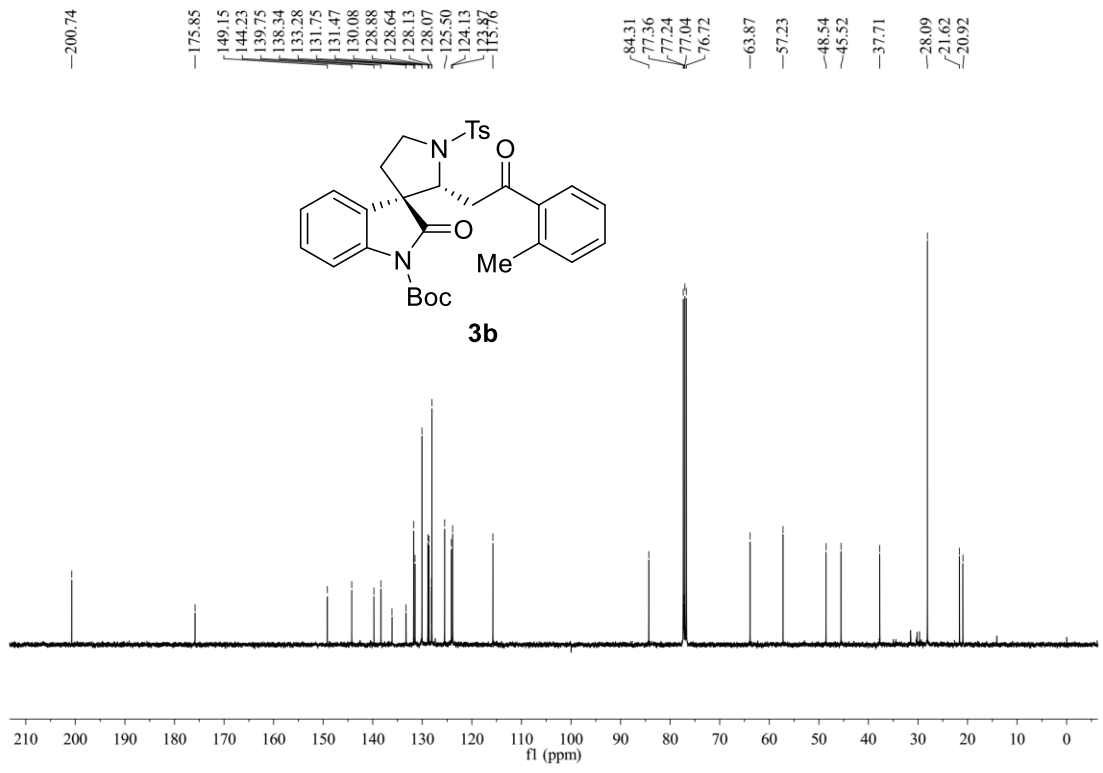
7.26
7.25
7.23
7.23
7.20
7.19
7.13
7.11
7.09
7.07
7.05
7.03
4.56
4.55
4.53
4.52
4.03
4.02
3.98
3.98
3.80
3.78
3.77
3.76
3.74
3.73
3.72
3.71
3.30
3.27
3.25
3.22
2.47
2.11
1.89
1.88
1.86
1.85
1.83
1.77
1.76
1.75
1.75
1.74
1.73
1.72
1.72
1.64
0.00
Ts
N
O
O
N
Me
Boc
3b
2.00
1.00
2.00
1.03
0.95
2.00
3.00
1.00
1.00
2.01
1.00
3.03
3.00
1.02
1.02
9.05
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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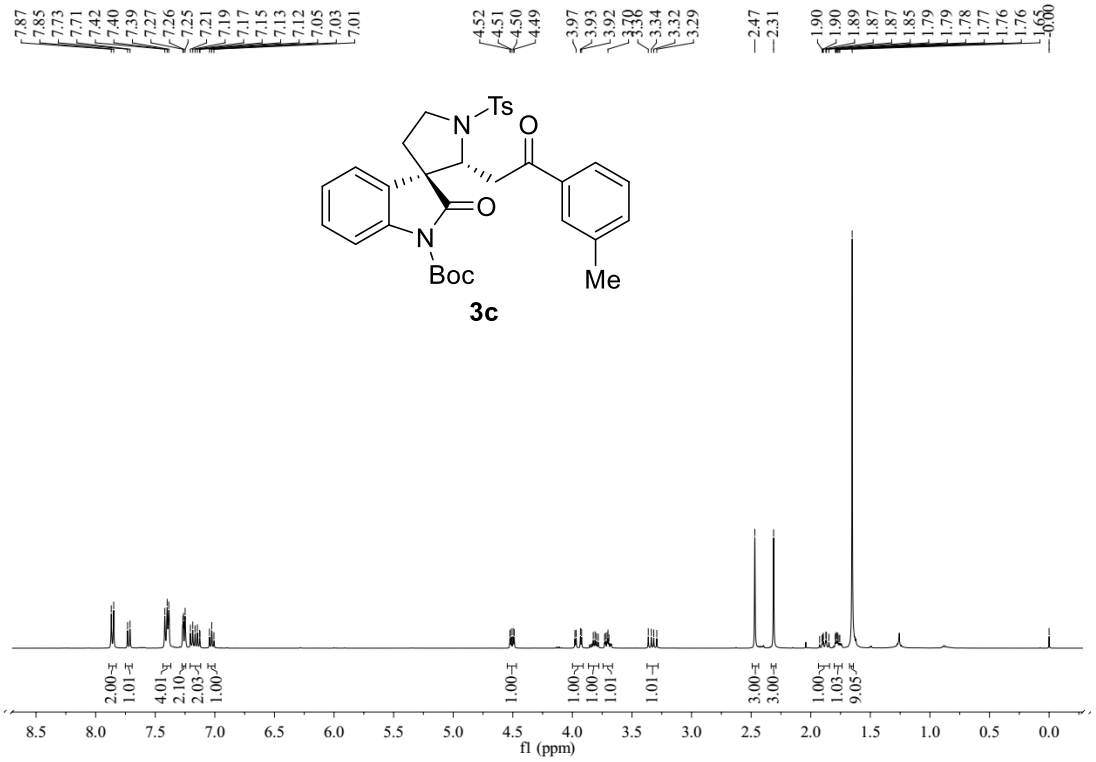
138.34
133.28
131.75
131.47
130.08
128.88
128.64
128.13
128.07
125.50
124.13
123.87
115.76
84.31
77.36
77.24
77.04
76.72
63.87
57.23
48.54
45.52
37.71
28.09
21.62
20.92
Ts
N
O
O
N
Me
Boc
3b
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.73
7.71
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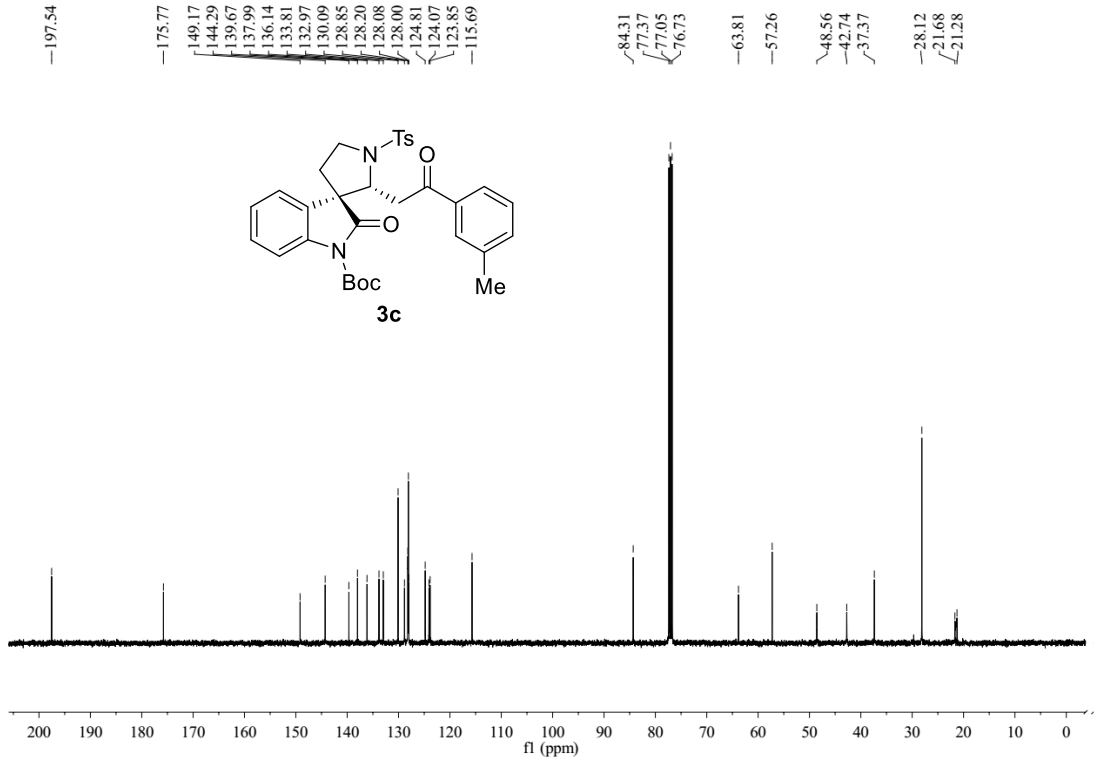
7.40
7.39
7.27
7.26
7.25
7.21
7.19
7.17
7.15
7.13
7.12
7.05
7.03
7.01
4.52
4.51
4.50
4.49
3.97
3.93
3.92
3.36
3.34
3.32
3.29
2.47
2.31
1.90
1.90
1.89
1.87
1.87
1.85
1.79
1.79
1.78
1.77
1.76
1.76
1.65
0.00
Ts
N
O
O
N
Boc
Me
3c
2.00
1.01
4.01
2.10
2.03
1.00
1.00
1.00
1.00
1.01
1.01
3.00
3.00
1.00
1.03
9.05
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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136.14
133.81
132.97
130.09
128.85
128.20
128.08
128.00
124.81
124.07
123.85
115.69
84.31
77.37
77.05
76.73
63.81
57.26
48.56
42.74
37.37
28.12
21.68
21.28
Ts
N
O
O
N
Boc
Me
3c
200
190
180
170
160
150
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130
120
110
100
90
80
70
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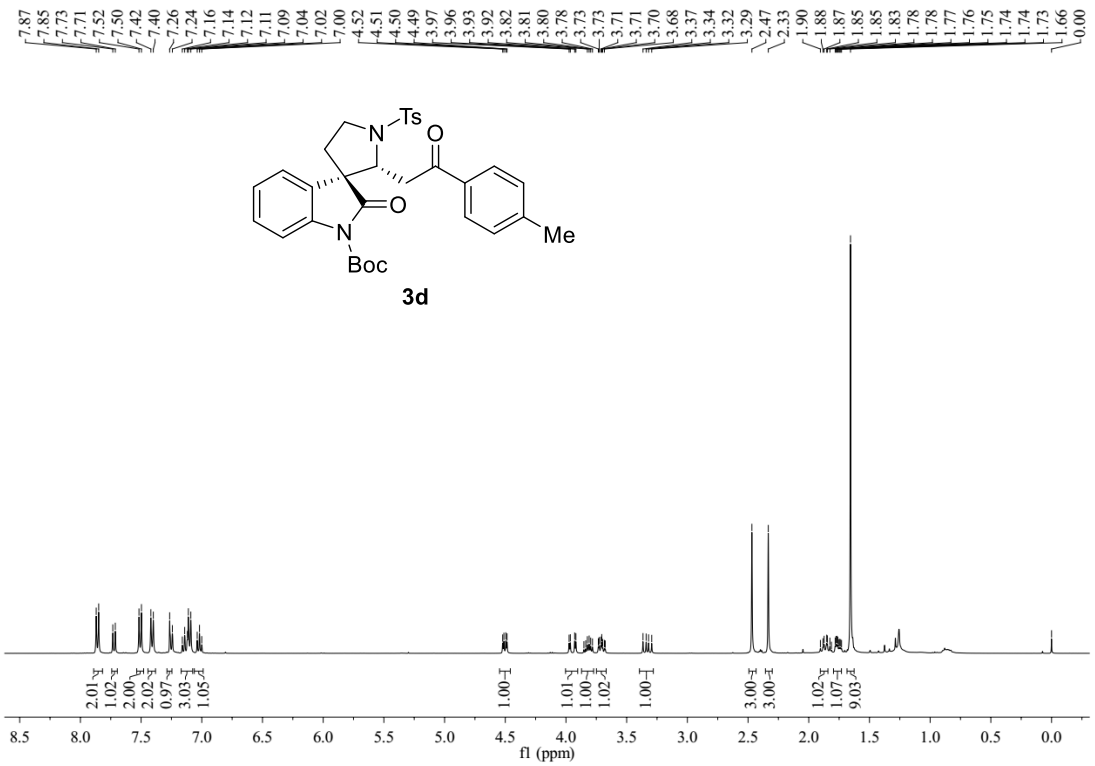
50
40
30
20
10
0
f1 (ppm)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7.85
7.73
7.71
7.52
7.50
7.42
7.40
7.26
7.24
7.16
7.14
7.12
7.11
7.09
7.04
7.02
7.00
4.52
4.51
4.50
4.49
3.97
3.96
3.93
3.92
3.82
3.81
3.80
3.78
3.73
3.73
3.71
3.71
3.70
3.68
3.37
3.34
3.32
3.29
2.47
2.33
1.90
1.88
1.87
1.85
1.85
1.83
1.78
1.78
1.77
1.76
1.75
1.74
1.74
1.73
1.66
0.00
Ts
N
O
O
N
Boc
Me
3d
2.01
1.02
2.00
2.02
0.97
3.03
1.05
1.00
1.01
1.00
1.02
1.00
3.00
3.00
1.02
1.07
9.03
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
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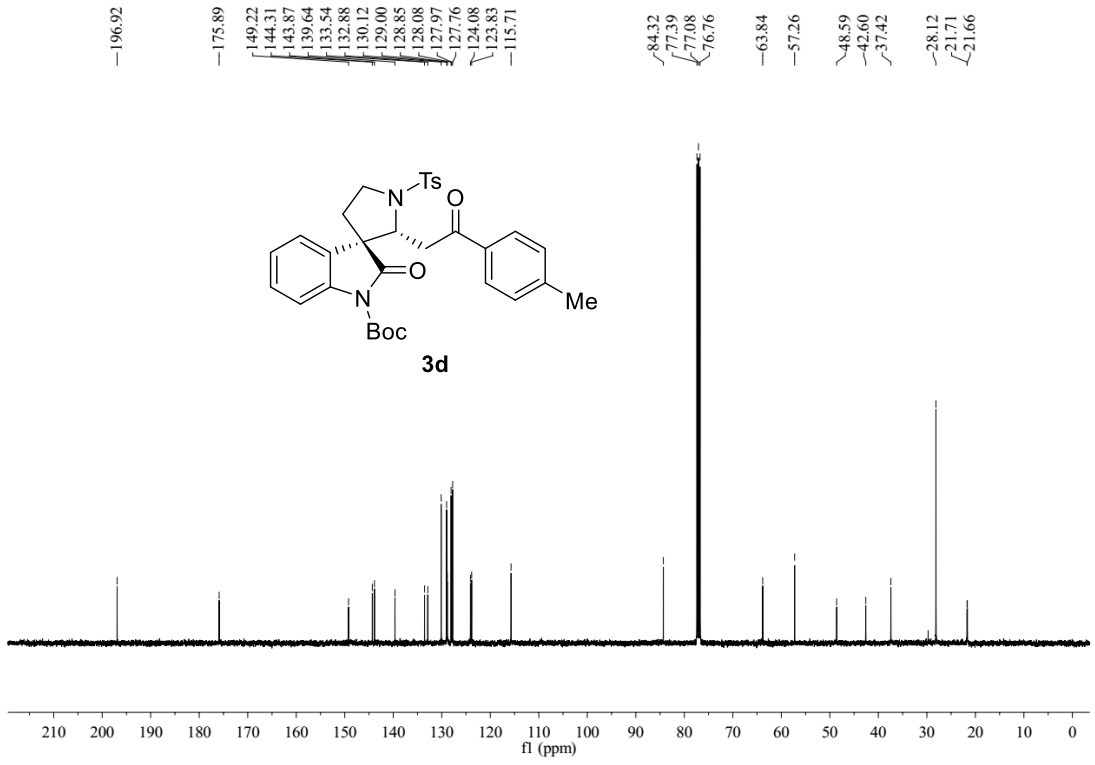
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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128.85
128.08
127.97
127.76
124.08
123.83
115.71
84.32
77.39
77.08
76.76
63.84
57.26
48.59
42.60
37.42
28.12
21.71
21.66
Ts
N
O
O
N
Boc
Me
3d
210
200
190
180
170
160
150
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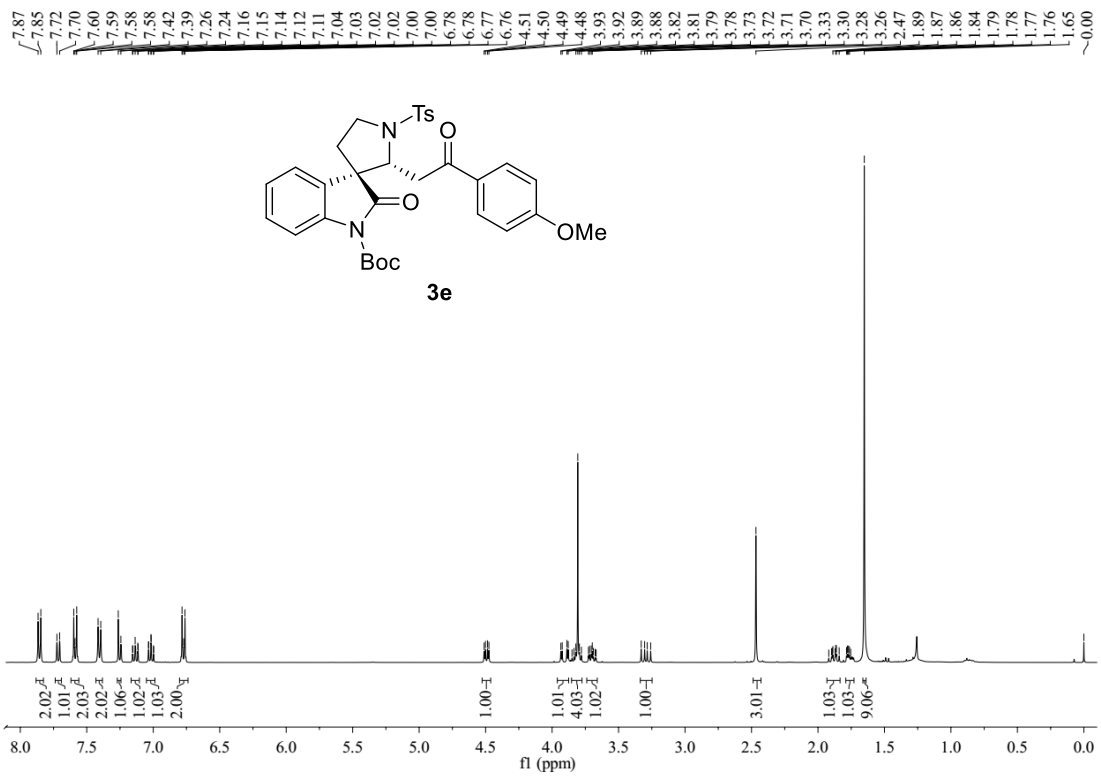
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.85
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7.58
7.58
7.42
7.39
7.26
7.24
7.16
7.15
7.14
7.12
7.11
7.04
7.03
7.02
7.02
7.00
7.00
6.78
6.78
6.77
6.76
4.51
4.50
4.49
4.48
3.93
3.92
3.89
3.88
3.82
3.81
3.79
3.78
3.73
3.72
3.71
3.70
3.33
3.30
3.28
3.26
2.47
1.89
1.87
1.86
1.84
1.79
1.78
1.77
1.76
1.65
0.00
Ts
N
O
O
N
Boc
OMe
2.02
1.01
2.03
2.02
1.06
1.02
1.03
2.00
1.00
1.01
4.03
1.02
1.00
3.01
1.03
1.03
9.06
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
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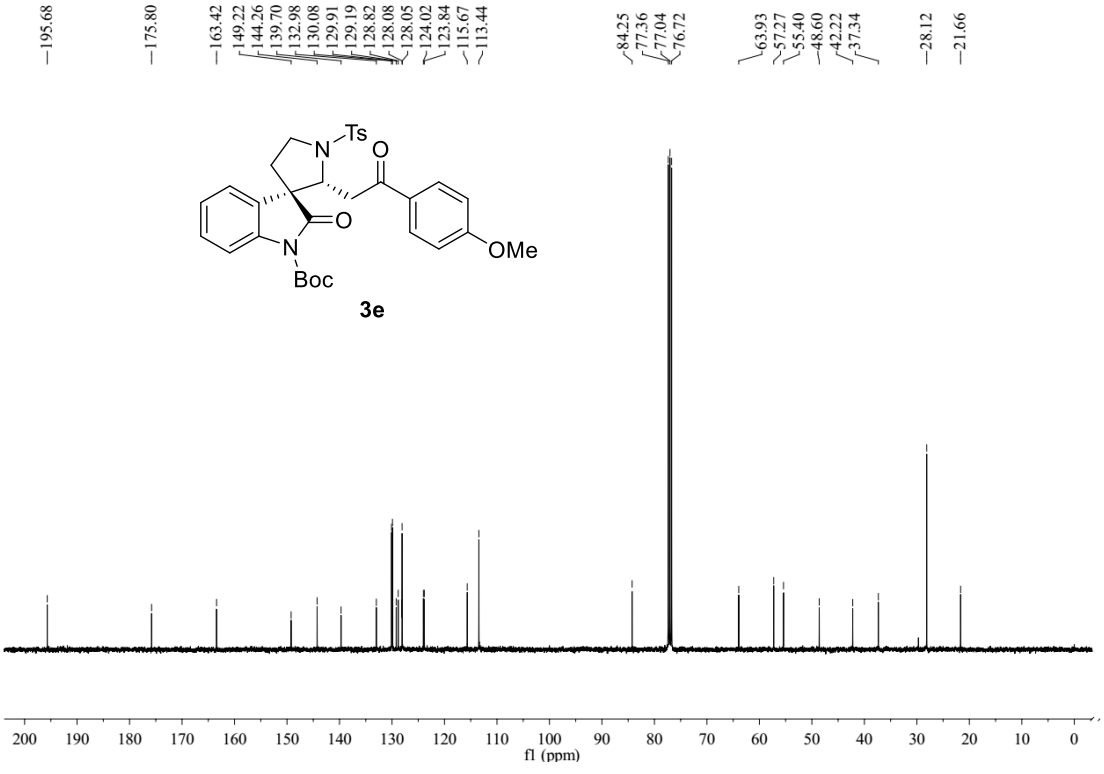
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

3e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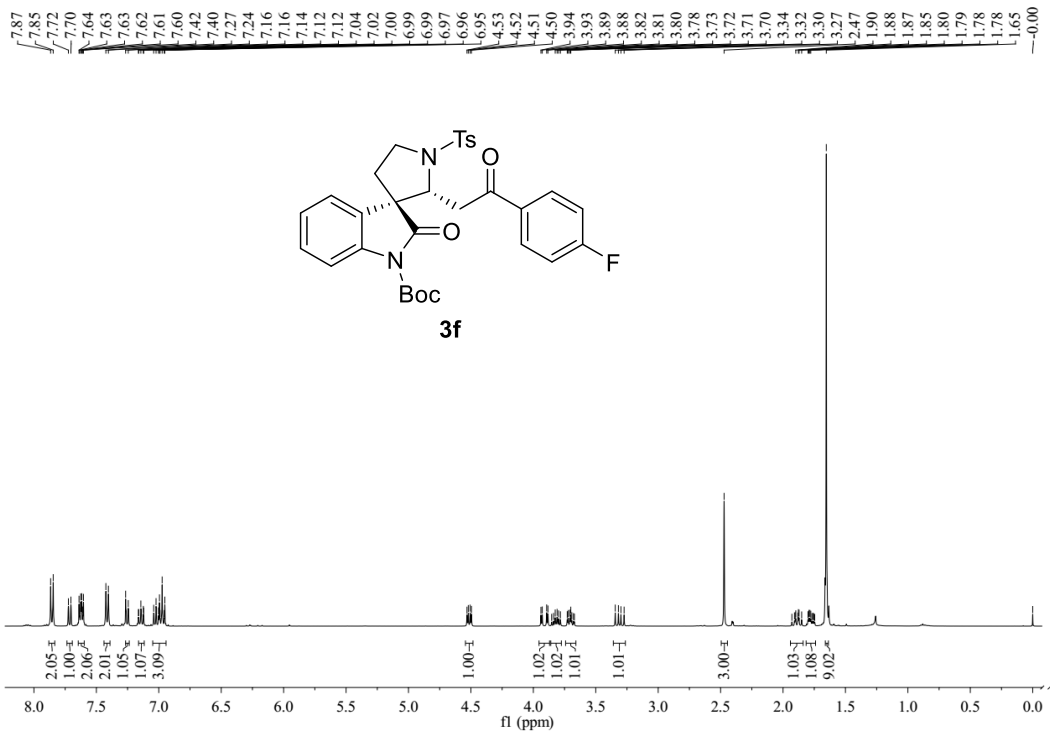
130.08
129.91
129.19
128.82
128.08
128.05
124.02
123.84
115.67
113.44
84.25
77.36
77.04
76.72
63.93
57.27
55.40
48.60
42.22
37.34
28.12
21.66
Ts
N
O
O
N
Boc
OMe
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

3e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7.70
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7.63
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7.62
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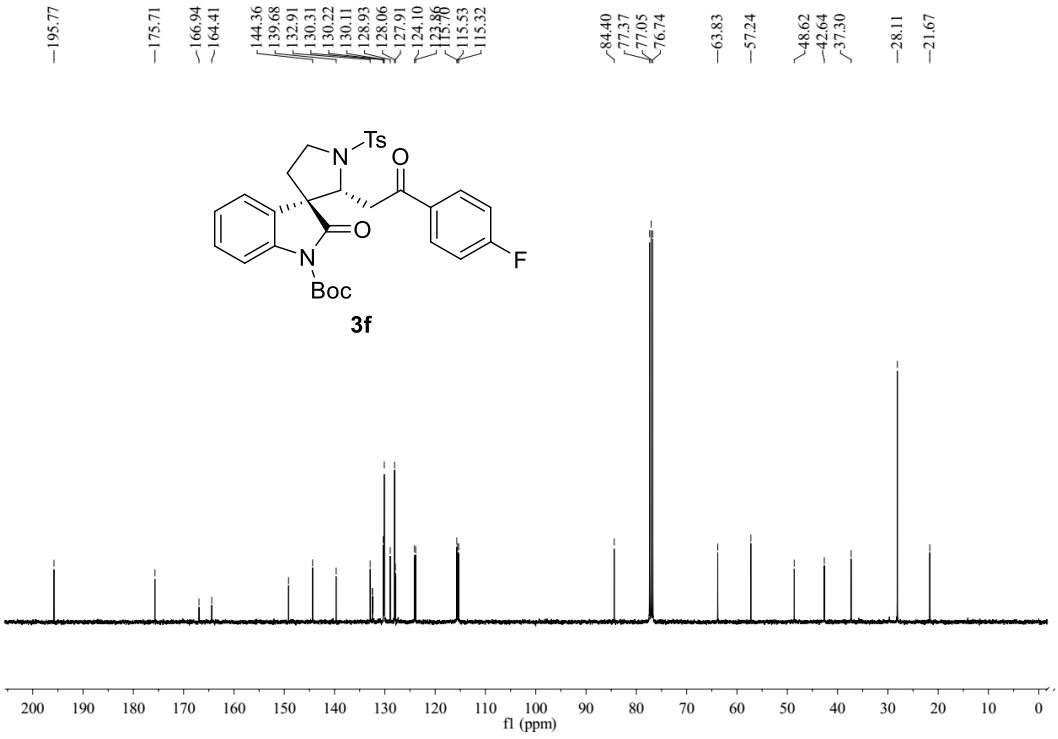
7.60
7.42
7.40
7.27
7.24
7.16
7.16
7.14
7.12
7.12
7.04
7.02
7.00
6.99
6.99
6.97
6.96
6.95
4.53
4.52
4.51
4.50
3.94
3.93
3.89
3.88
3.82
3.81
3.80
3.78
3.73
3.72
3.71
3.70
3.34
3.32
3.30
3.27
2.47
1.90
1.88
1.87
1.85
1.80
1.79
1.78
1.65
0.00
Ts
N
O
O
N
Boc
F
3f
2.05
1.00
2.06
2.01
1.05
1.07
3.09
1.00
1.02
1.02
1.01
1.01
3.00
1.03
1.08
9.02
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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139.68
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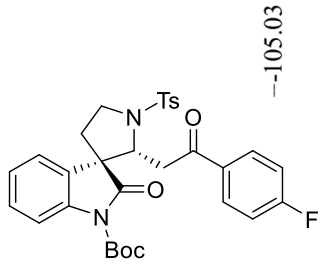
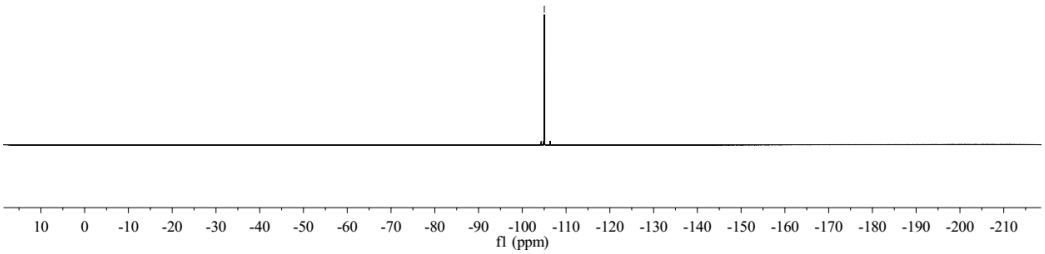
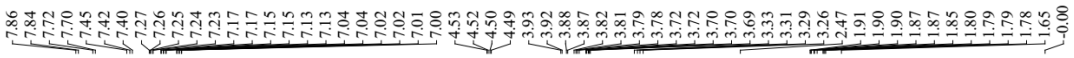
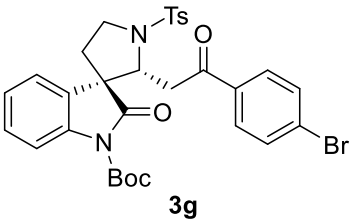
130.31
130.22
130.11
128.93
128.06
127.91
124.10
115.53
115.32
84.40
77.37
77.05
76.74
63.83
57.24
48.62
42.64
37.30
28.11
21.67
Ts
N
O
O
N
Boc
F
3f
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


Ts
N
O
O
N
Boc
F

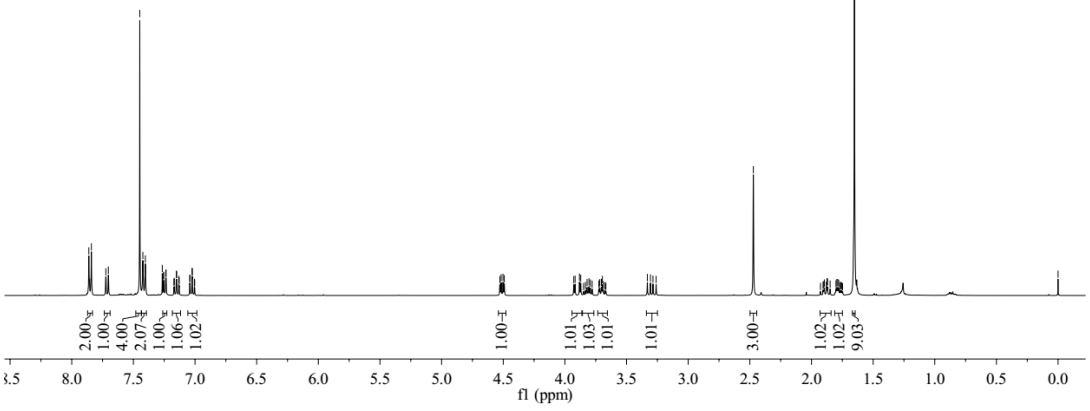
3f

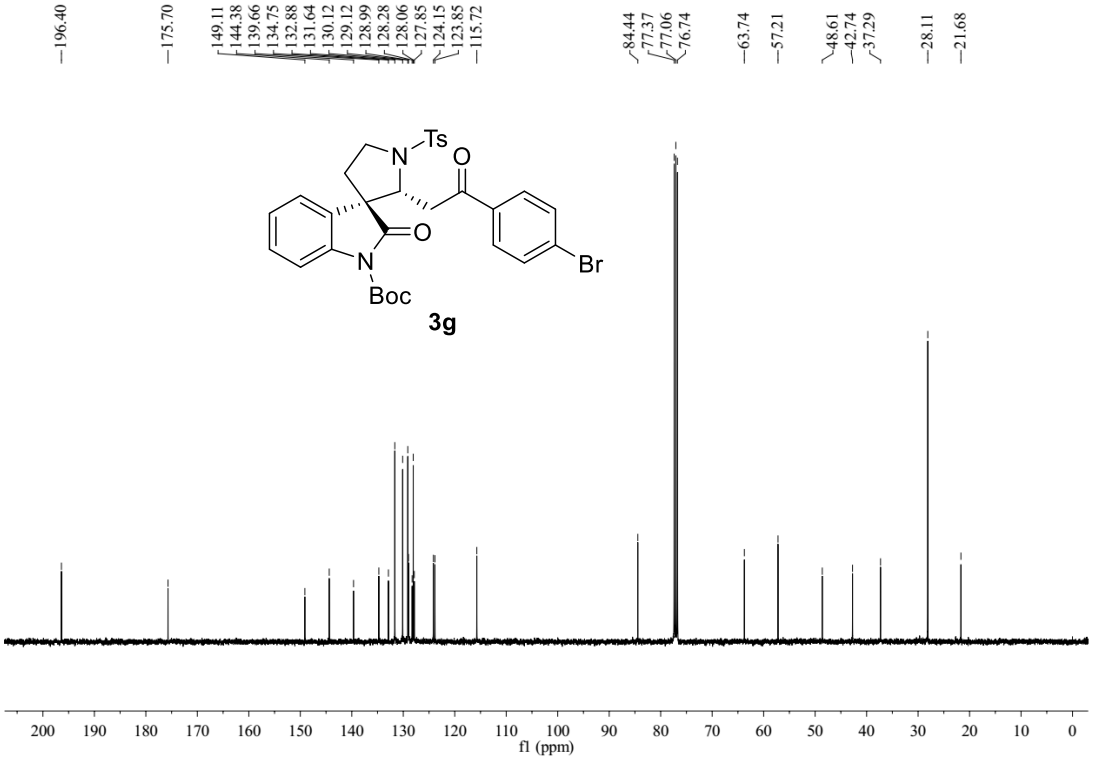

-105.03
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)


7.86 7.84 7.72 7.70 7.45 7.42 7.40 7.27 7.26 7.25 7.24 7.23 7.17 7.17 7.15 7.15 7.13 7.13 7.04 7.04 7.02 7.02 7.01 7.00 4.53 4.52 4.50 4.49 3.93 3.92 3.88 3.87 3.82 3.81 3.79 3.78 3.72 3.72 3.70 3.70 3.69 3.33 3.31 3.29 3.26 2.47 1.91 1.90 1.90 1.87 1.87 1.85 1.80 1.79 1.79 1.78 1.65 0.00


Ts
N
O
O
N
Boc
Br

3g


2.00 1.00 4.00 2.07 1.00 1.06 1.02 1.00 1.01 1.03 1.01 1.01 3.00 1.02 1.02 9.03
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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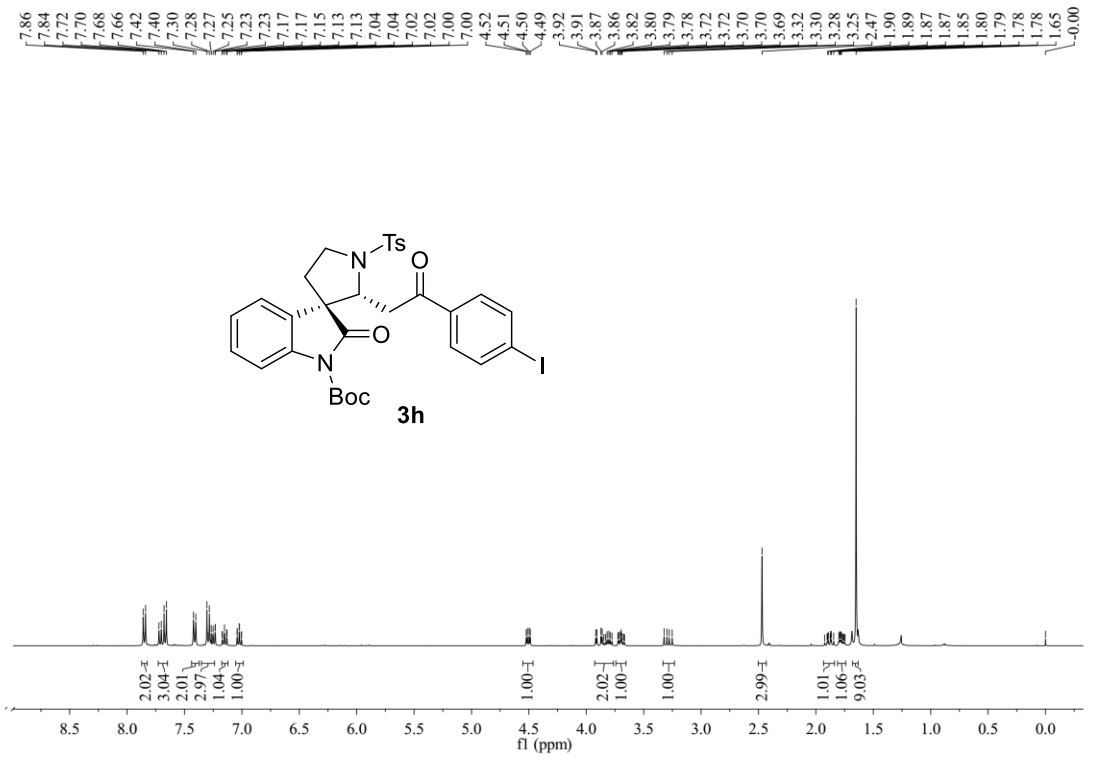
175.70
149.11
144.38
139.66
134.75
132.88
131.64
130.12
129.12
128.99
128.28
128.06
127.85
124.15
123.85
115.72
84.44
77.37
77.06
76.74
63.74
57.21
48.61
42.74
37.29
28.11
21.68
Ts
N
O
O
N
Boc
Br
3g
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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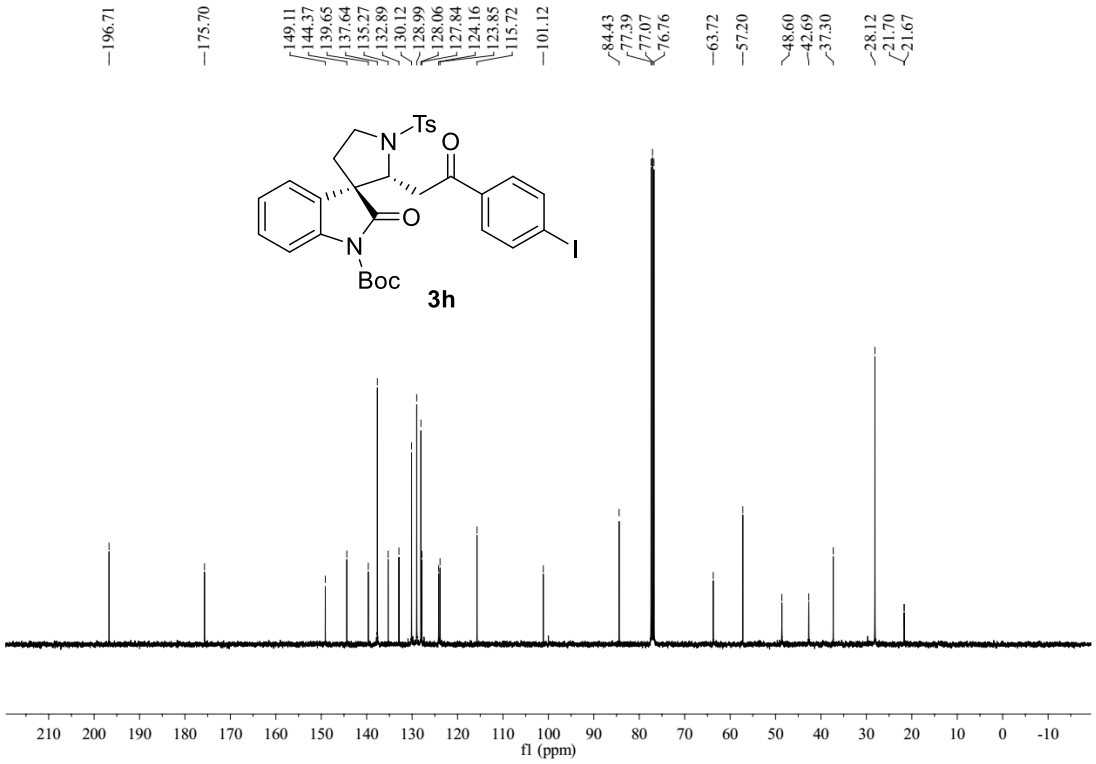
7.84
7.72
7.70
7.68
7.66
7.42
7.40
7.30
7.28
7.27
7.25
7.23
7.23
7.17
7.17
7.15
7.13
7.13
7.04
7.04
7.02
7.02
7.00
7.00
4.52
4.51
4.50
4.49
3.92
3.91
3.87
3.86
3.82
3.80
3.79
3.78
3.72
3.72
3.70
3.70
3.69
3.32
3.30
3.28
3.25
2.47
1.90
1.89
1.87
1.87
1.85
1.80
1.79
1.78
1.78
1.65
0.00
Ts
N
O
O
N
Boc
I
3h
2.02
3.04
2.01
2.97
1.04
1.00
1.00
2.02
1.00
1.00
2.99
1.01
1.06
9.03
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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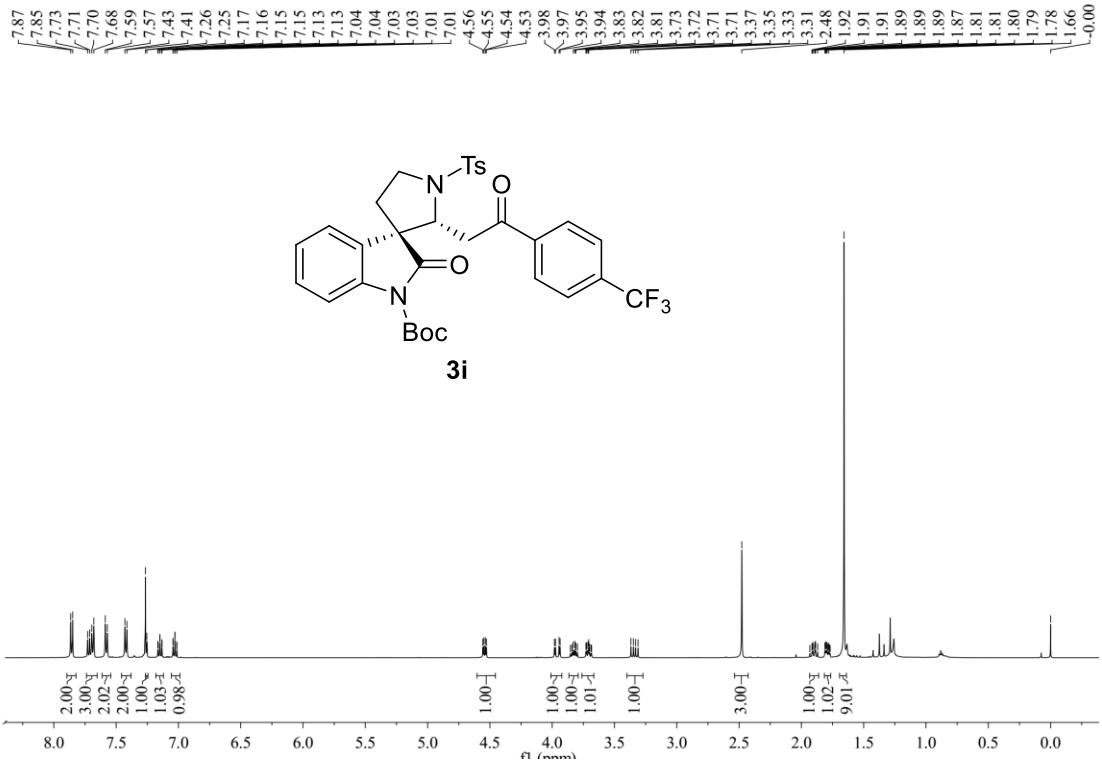
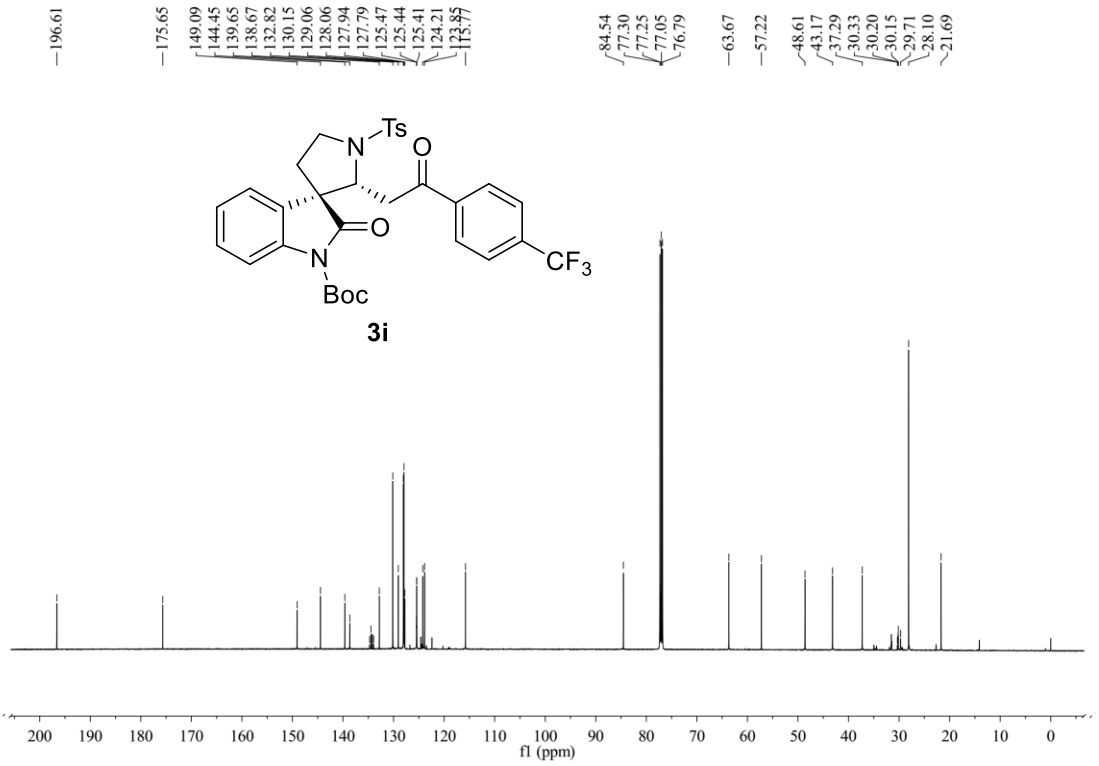
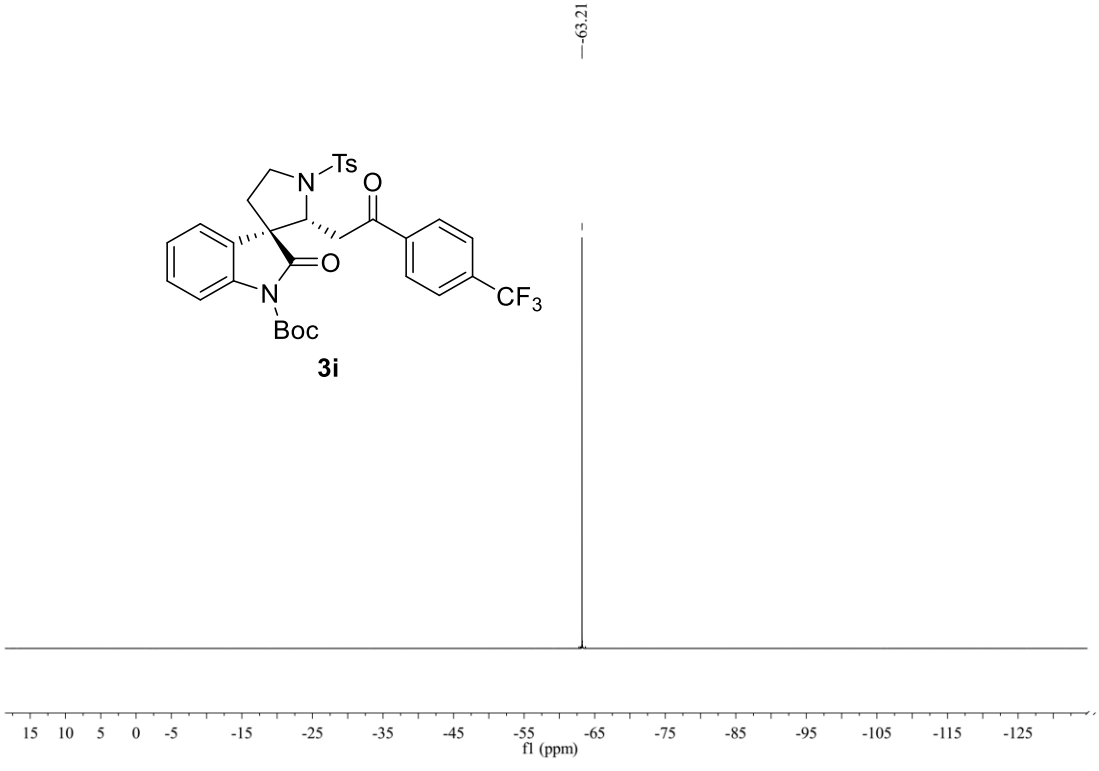
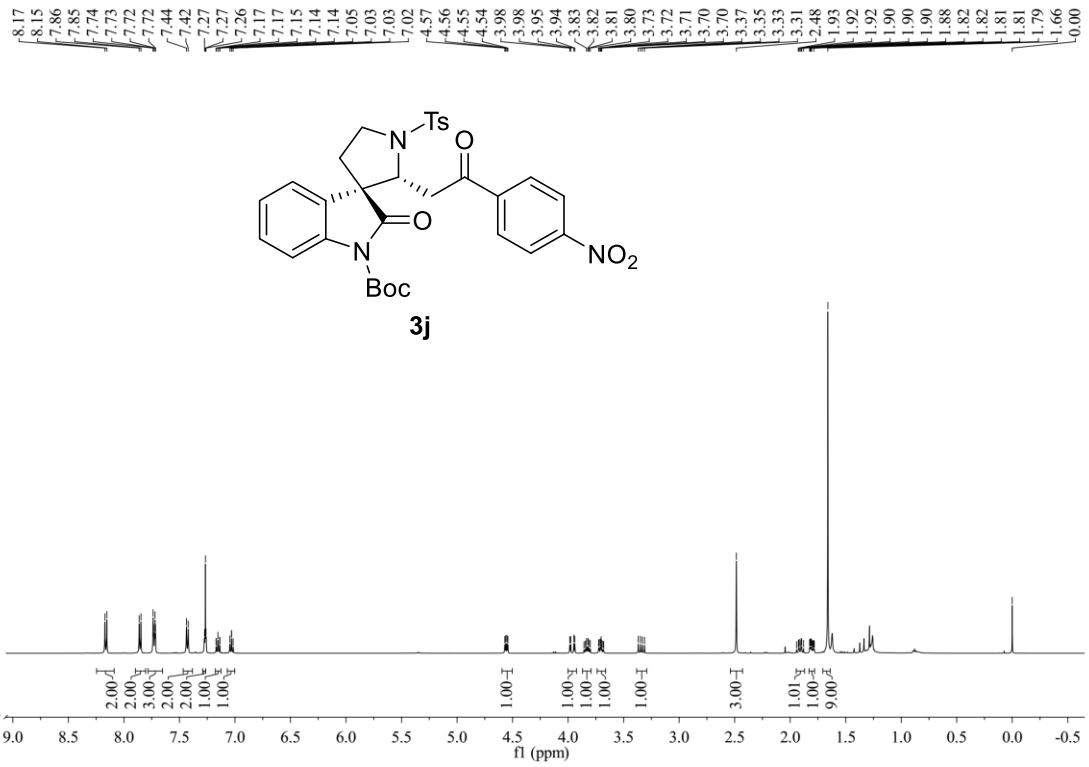
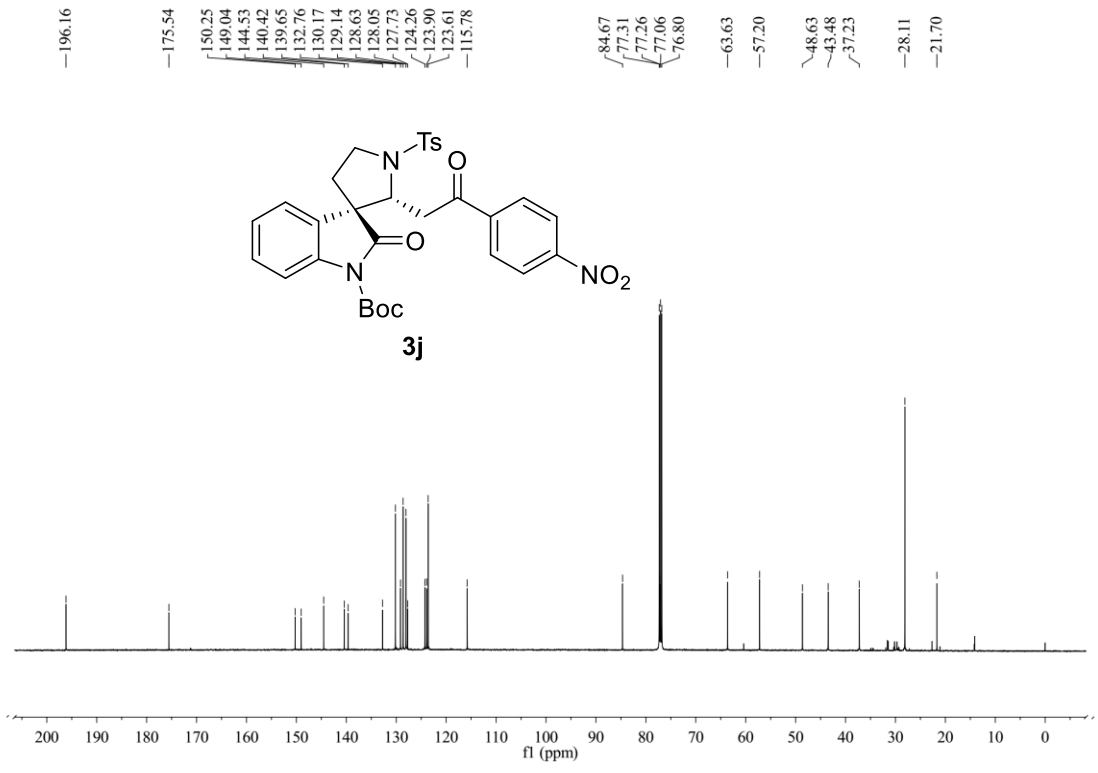
139.65
137.64
135.27
132.89
130.12
128.99
128.06
127.84
124.16
123.85
115.72
101.12
84.43
77.39
77.07
76.76
63.72
57.20
48.60
42.69
37.30
28.12
21.70
21.67
Ts
N
O
O
N
Boc
I
3h
f1 (ppm)

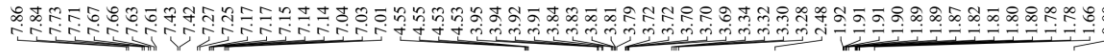

Ts
N
O
O
N
Boc
CF3
3i
f1 (ppm)

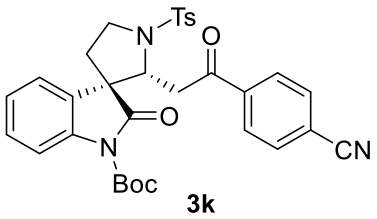

196.61
175.65
149.09
144.45
139.65
138.67
132.82
130.15
129.06
128.06
127.94
127.79
125.47
125.44
125.41
124.21
84.54
77.30
77.25
77.05
76.79
63.67
57.22
48.61
43.17
37.29
30.33
30.20
30.15
29.71
28.10
21.69
Ts
N
O
O
N
Boc
CF3
3i
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


63.21
Ts
N
O
O
N
Boc
CF3
3i
15 10 5 0 -5 -15 -25 -35 -45 -55 -65 -75 -85 -95 -105 -115 -125
f1 (ppm)

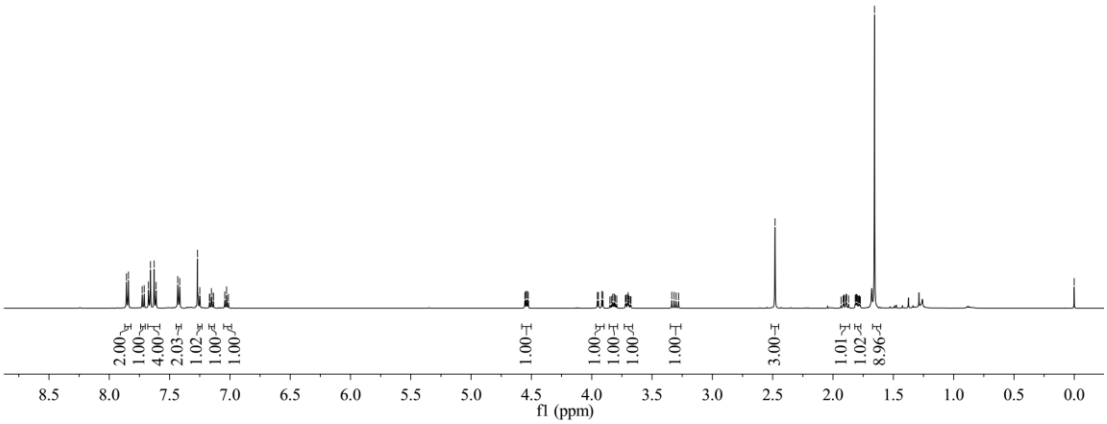

8.17
8.15
7.86
7.85
7.74
7.73
7.72
7.72
7.44
7.42
7.27
7.27
7.26
7.17
7.17
7.15
7.14
7.14
7.05
7.03
7.03
7.02
4.57
4.56
4.55
4.54
3.98
3.98
3.95
3.94
3.83
3.82
3.81
3.80
3.73
3.72
3.71
3.70
3.70
3.37
3.35
3.33
3.31
2.48
1.93
1.92
1.92
1.90
1.90
1.90
1.88
1.82
1.82
1.81
1.81
1.79
1.66
0.00
Ts
N
O
O
N
Boc
NO2
3j
2.00
2.00
3.00
2.00
2.00
1.00
1.00
1.00
1.00
1.00
3.00
1.01
1.00
9.00
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)

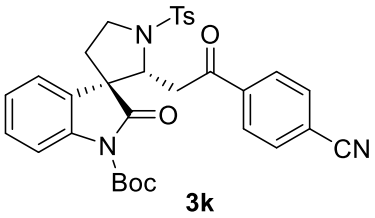

196.16
175.54
150.25
149.04
144.53
140.42
139.65
132.76
130.17
129.14
128.63
128.05
127.73
124.26
123.90
123.61
115.78
84.67
77.31
77.26
77.06
76.80
63.63
57.20
48.63
43.48
37.23
28.11
21.70
Ts
N
O
O
N
Boc
NO2
3j
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)



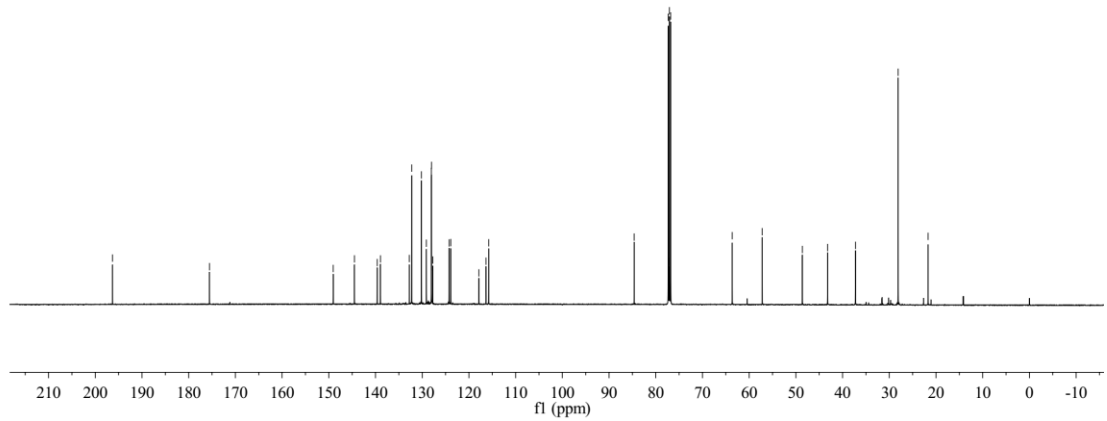

Ts
N
O
O
N
Boc
CN

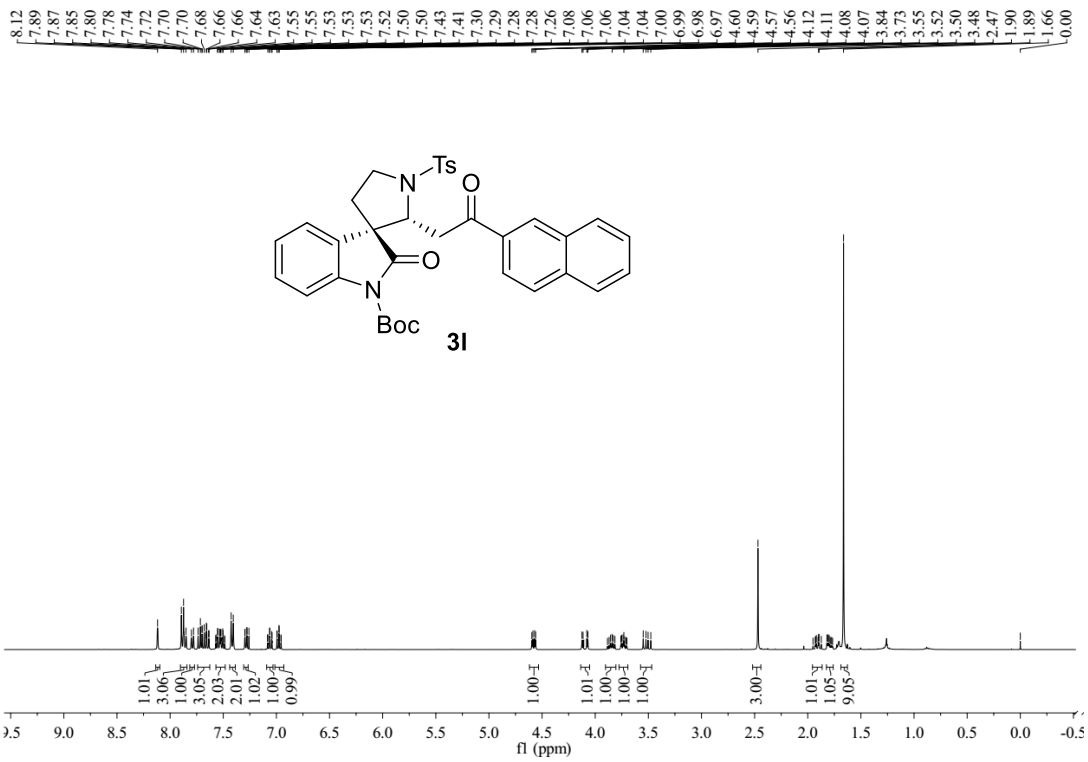
3k

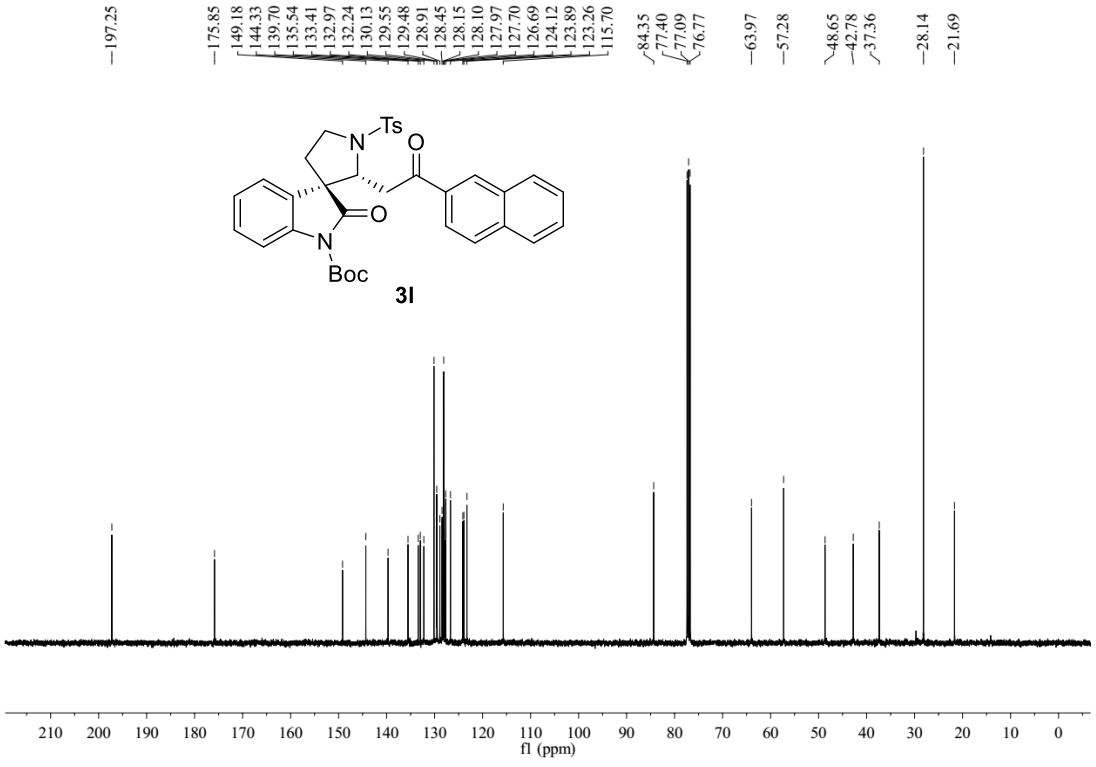

2.00
1.00
4.00
2.03
1.02
1.00
1.00
1.00
1.00
1.00
1.00
1.00
3.00
1.01
1.02
8.96
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

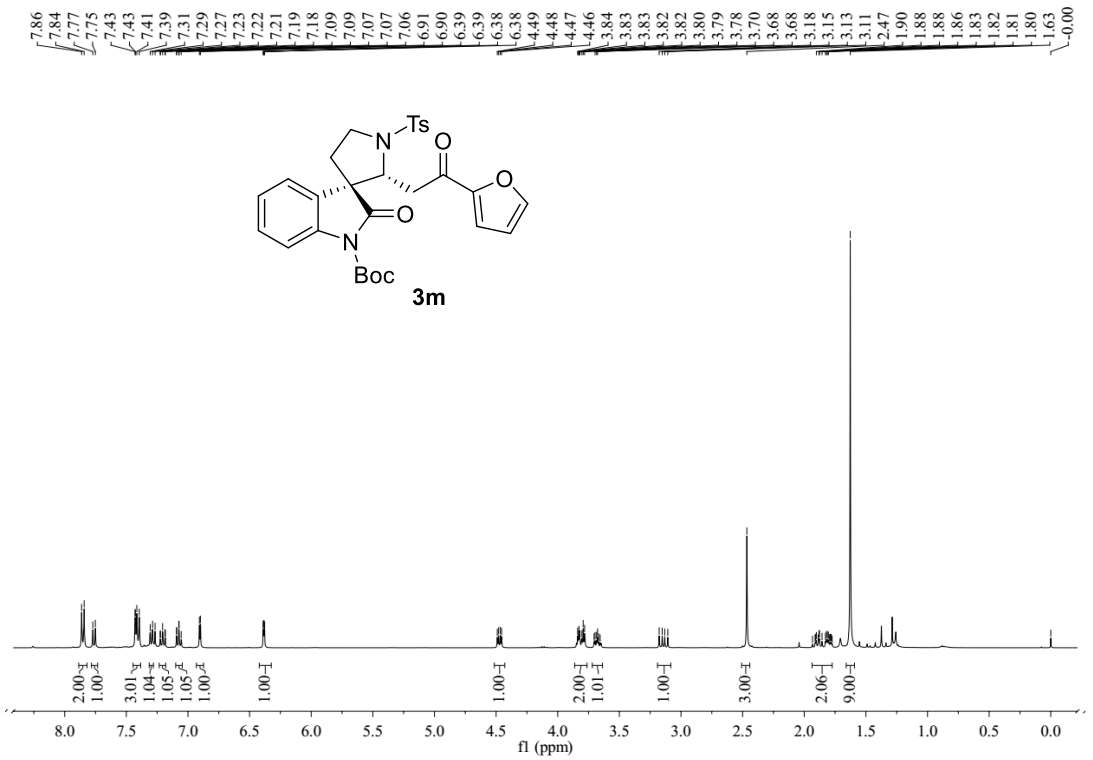

Ts
N
O
O
N
Boc
CN

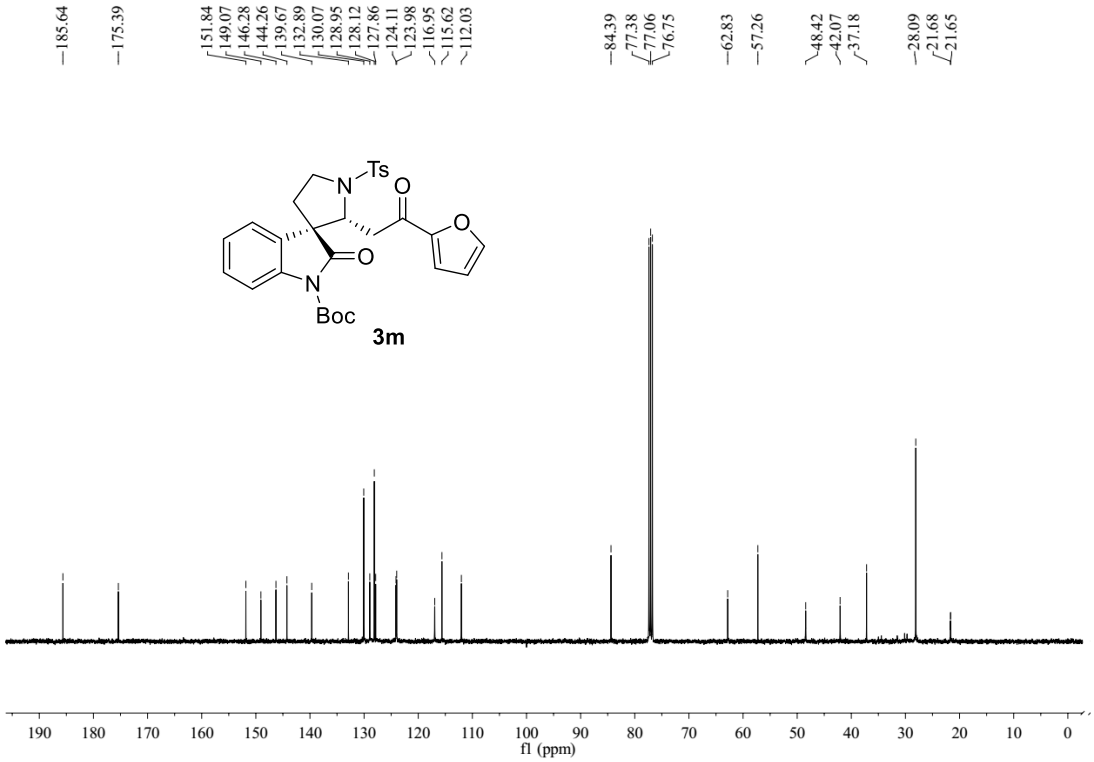
3k

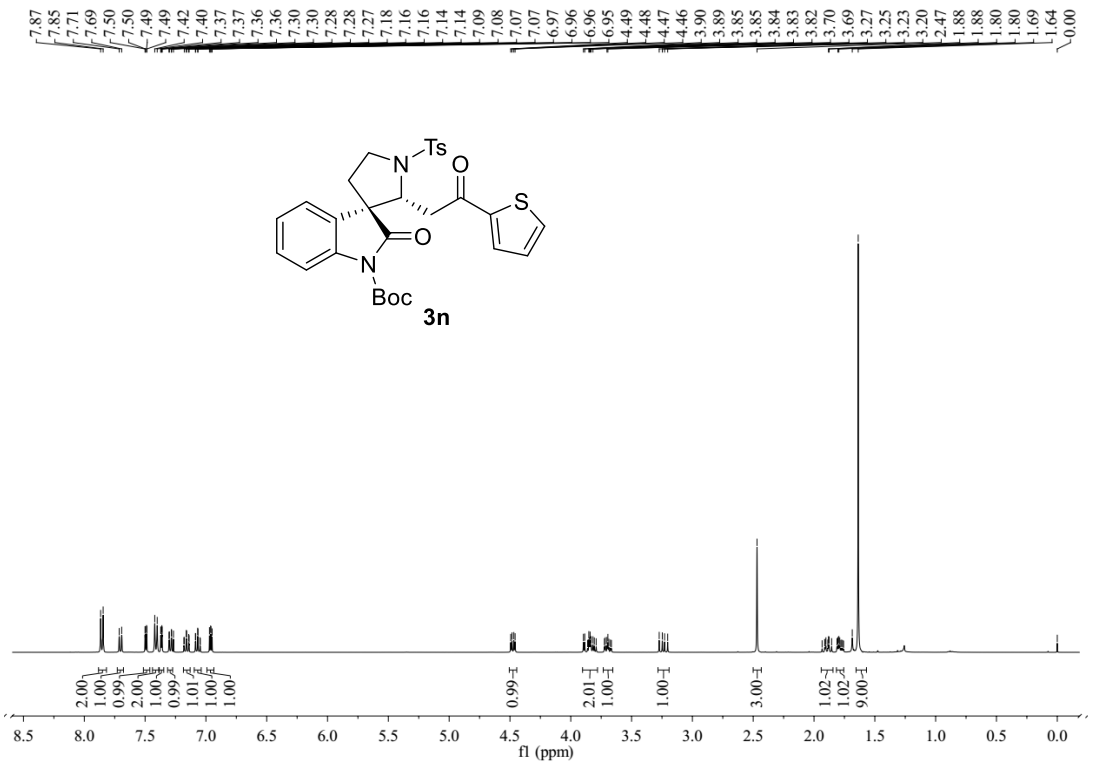

210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


Ts
N
O
O
N
Boc
3l
fl (ppm)


Ts
N
O
O
N
Boc
3l
fl (ppm)


7.86 7.84 7.77 7.75 7.43 7.43 7.41 7.39 7.31 7.29 7.27 7.23 7.22 7.21 7.19 7.18 7.09 7.09 7.07 7.07 7.06 6.91 6.90 6.39 6.39 6.38 6.38 4.49 4.48 4.47 4.46 3.84 3.83 3.83 3.82 3.82 3.80 3.79 3.78 3.70 3.68 3.68 3.18 3.15 3.13 3.11 2.47 1.90 1.88 1.88 1.86 1.83 1.82 1.81 1.80 1.63 0.00
Ts
N
O
O
O
N
Boc
3m
2.00 1.00 3.01 1.04 1.05 1.05 1.00 1.00 1.00 2.00 1.01 1.00 3.00 2.06 9.00
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)


185.64 175.39 151.84 149.07 146.28 144.26 139.67 132.89 130.07 128.95 128.12 127.86 124.11 123.98 116.95 115.62 112.03 84.39 77.38 77.06 76.75 62.83 57.26 48.42 42.07 37.18 28.09 21.68 21.65
Ts
N
O
O
O
N
Boc
3m
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


7.87
7.85
7.71
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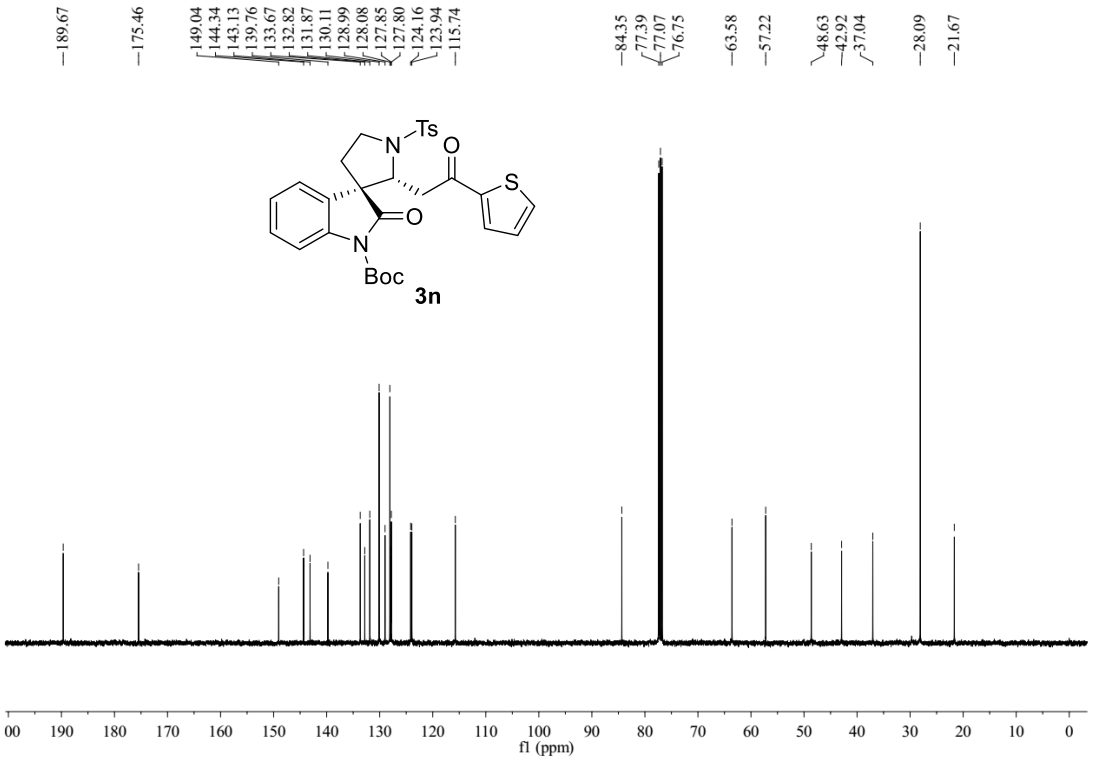
7.50
7.49
7.49
7.42
7.40
7.37
7.37
7.36
7.36
7.30
7.30
7.28
7.28
7.27
7.18
7.16
7.16
7.14
7.14
7.09
7.08
7.07
7.07
6.97
6.96
6.96
6.95
4.49
4.48
4.47
4.46
3.90
3.89
3.85
3.85
3.84
3.83
3.82
3.70
3.69
3.27
3.25
3.23
3.20
2.47
1.88
1.88
1.80
1.80
1.69
1.64
0.00
Ts
N
O
S
O
N
Boc
3n
2.00
1.00
0.99
2.00
1.00
0.99
1.01
1.00
1.00
0.99
2.01
1.00
1.00
3.00
1.02
1.02
9.00
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)


189.67
175.46
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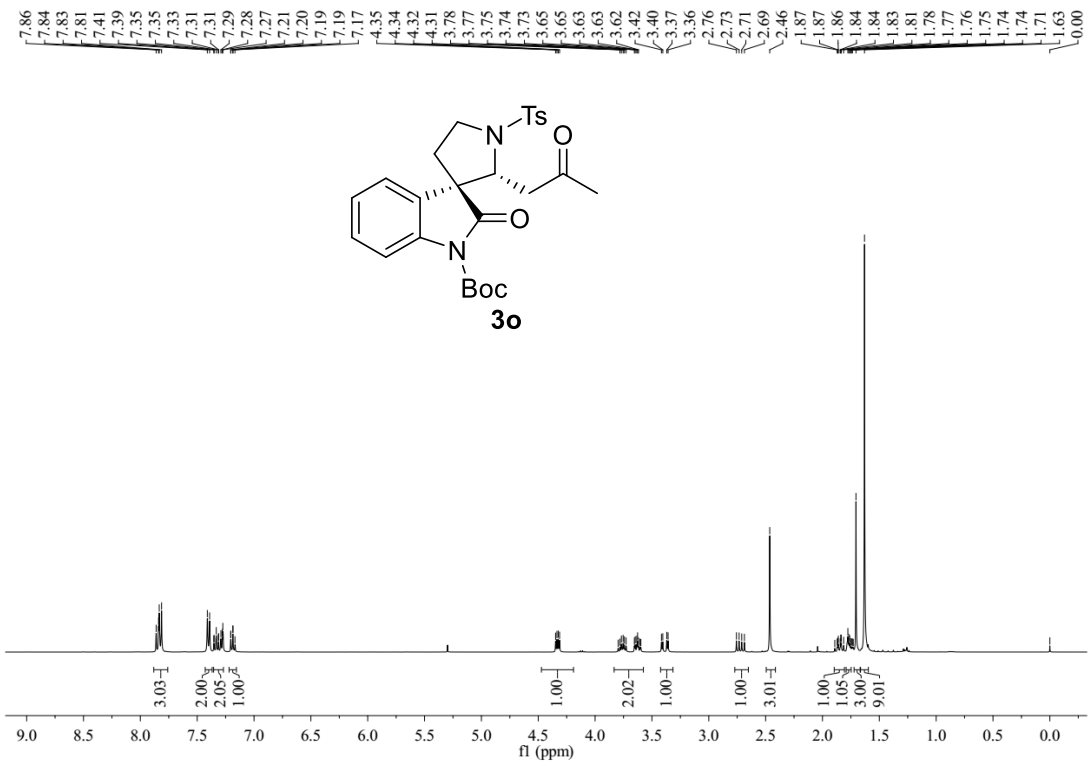
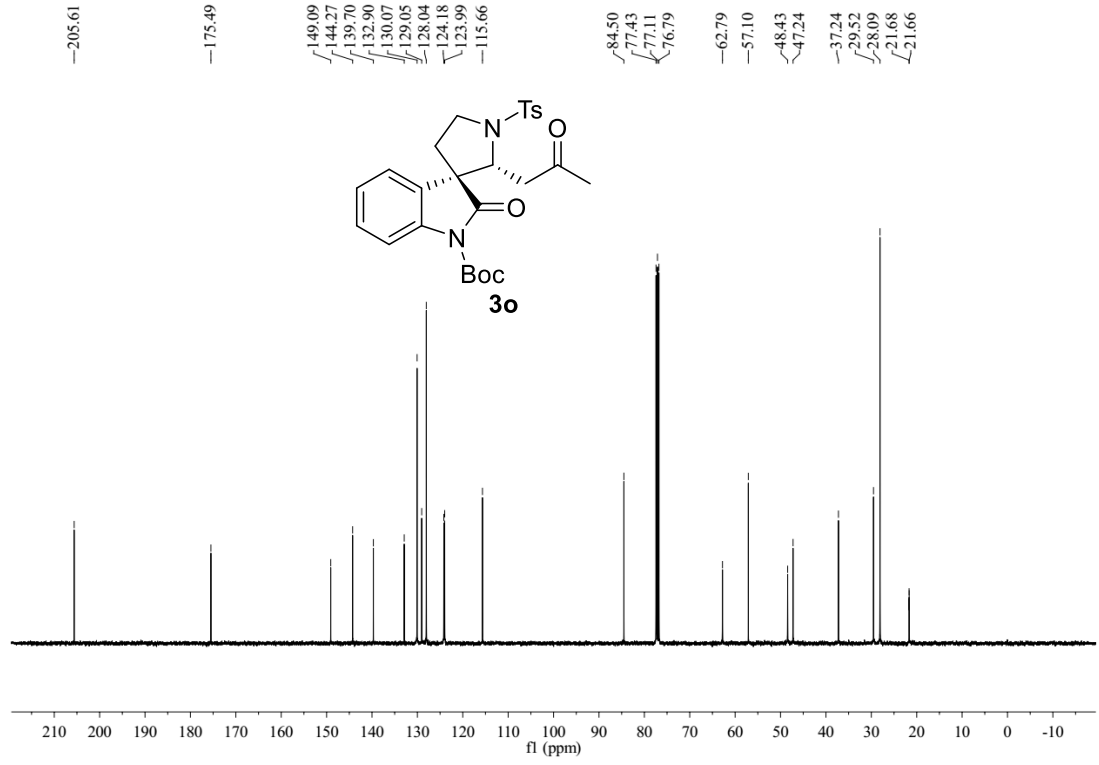
144.34
143.13
139.76
133.67
132.82
131.87
130.11
128.99
128.08
127.85
127.80
124.16
123.94
115.74
84.35
77.39
77.07
76.75
63.58
57.22
48.63
42.92
37.04
28.09
21.67
Ts
N
O
S
O
N
Boc
3n
00
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


7.86
7.84
7.83
7.81
7.41
7.39
7.35
7.35
7.33
7.31
7.31
7.29
7.28
7.27
7.21
7.20
7.19
7.19
7.17
4.35
4.34
4.32
4.31
3.78
3.77
3.75
3.74
3.73
3.65
3.65
3.63
3.62
3.42
3.40
3.37
3.36
2.76
2.73
2.71
2.69
2.46
1.87
1.87
1.86
1.84
1.84
1.83
1.81
1.78
1.77
1.76
1.75
1.74
1.74
1.71
1.63
0.00
Ts
N
O
O
N
Boc
3o
3.03
2.00
2.05
1.00
1.00
2.02
1.00
1.00
3.01
1.00
1.05
3.00
9.01
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)


205.61
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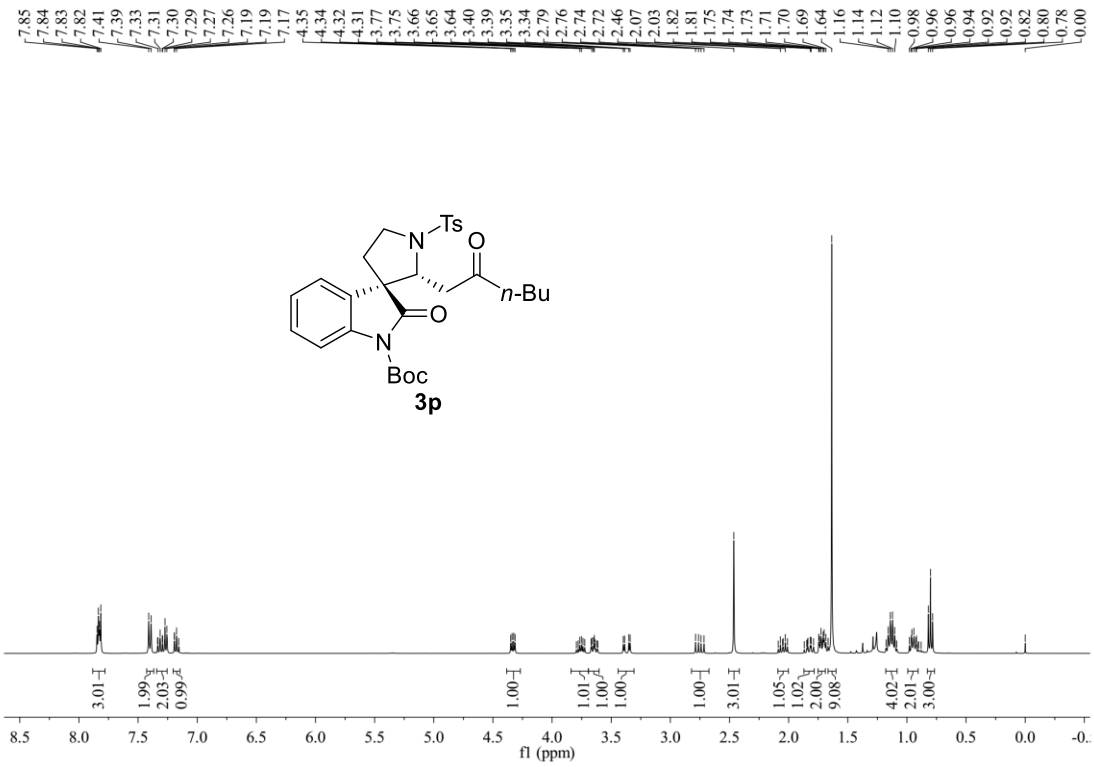
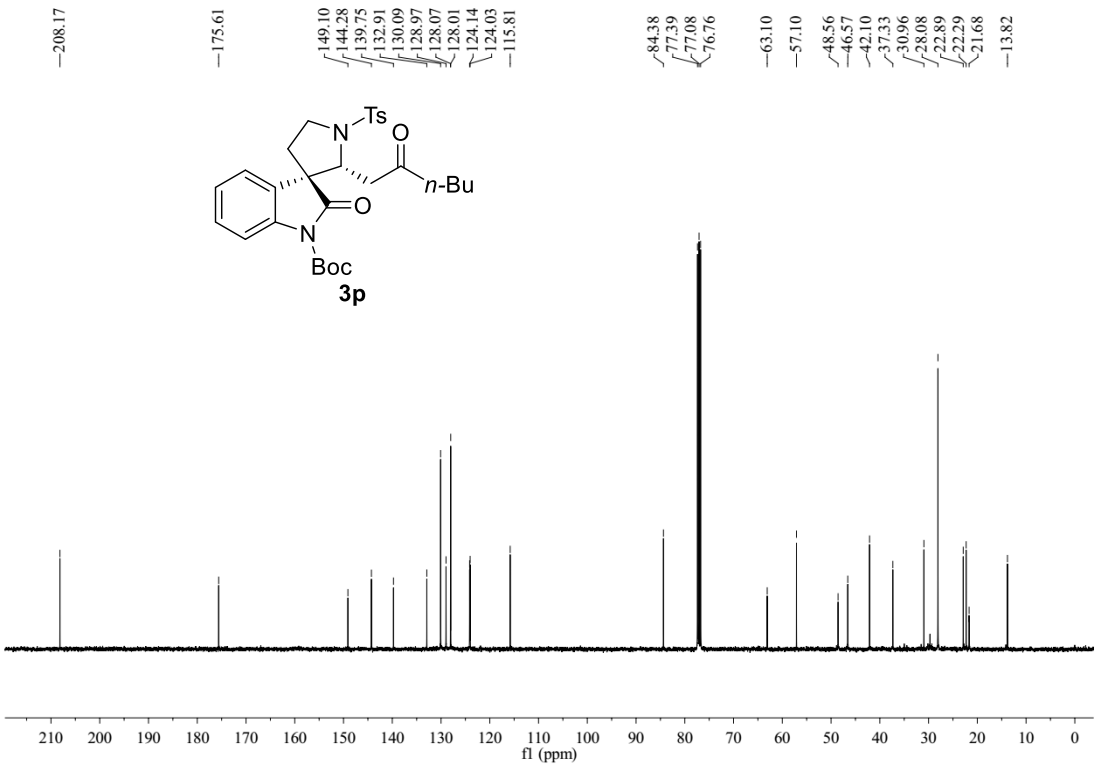
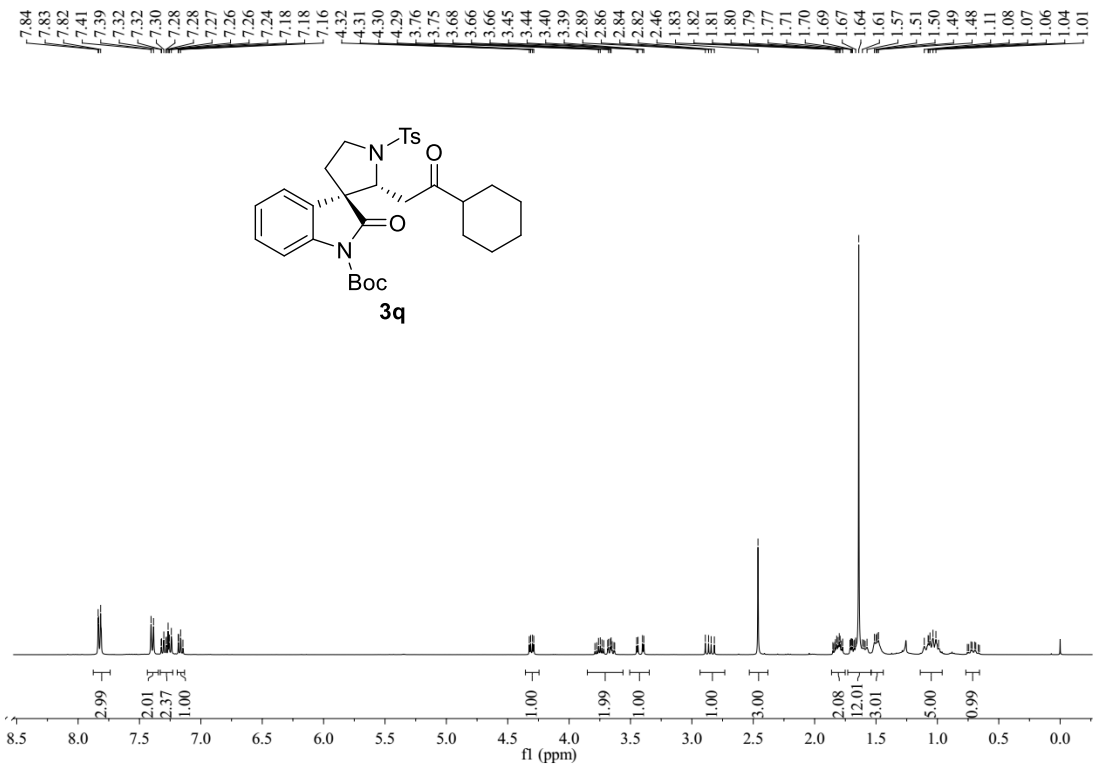
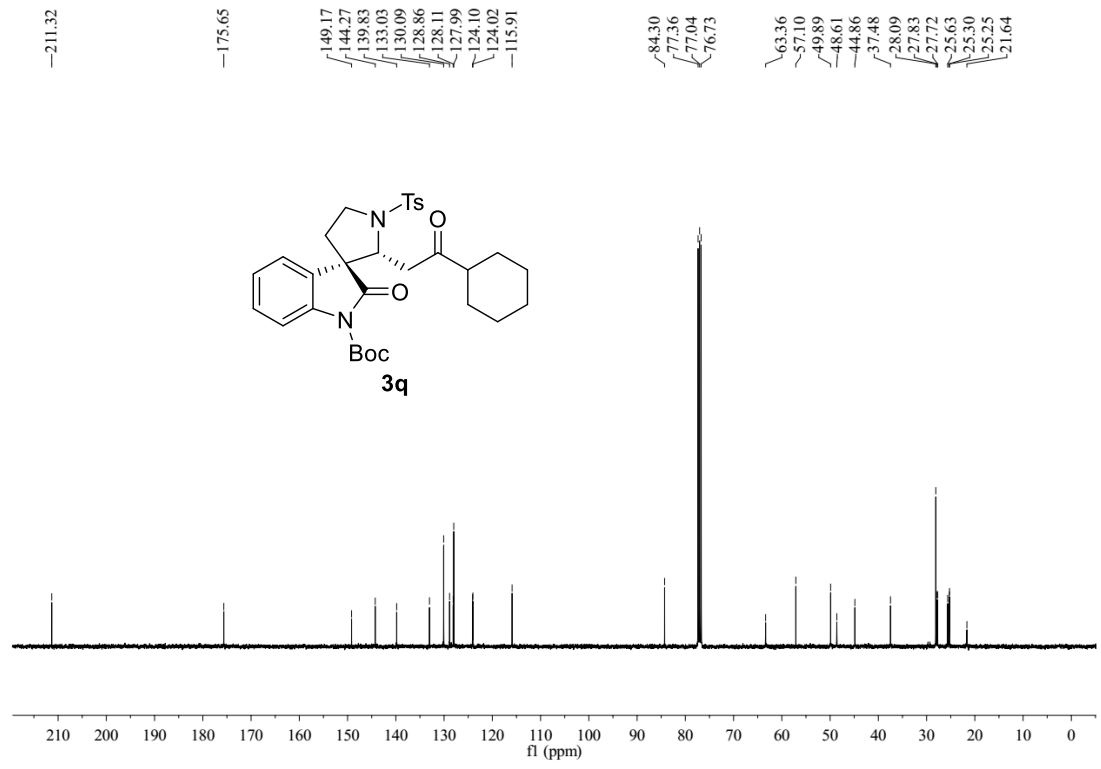
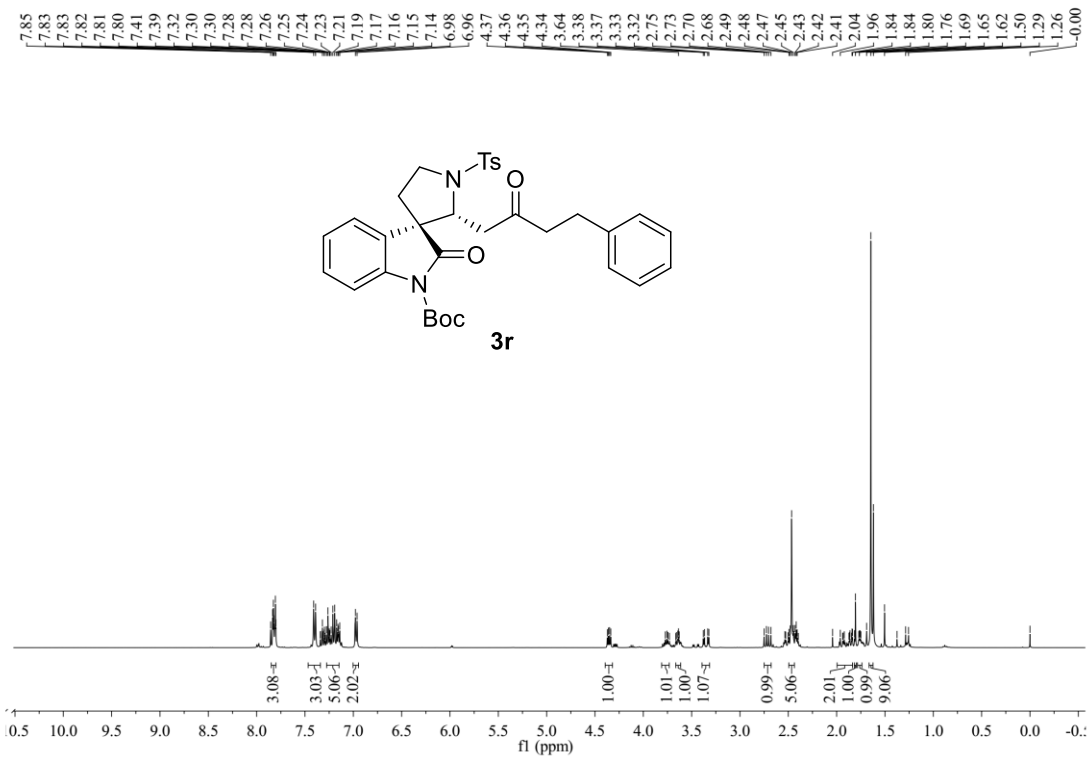
144.27
139.70
132.90
130.07
129.05
128.04
124.18
123.99
115.66
84.50
77.43
77.11
76.79
62.79
57.10
48.43
47.24
37.24
29.52
28.09
21.68
21.66
Ts
N
O
O
N
Boc
3o
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

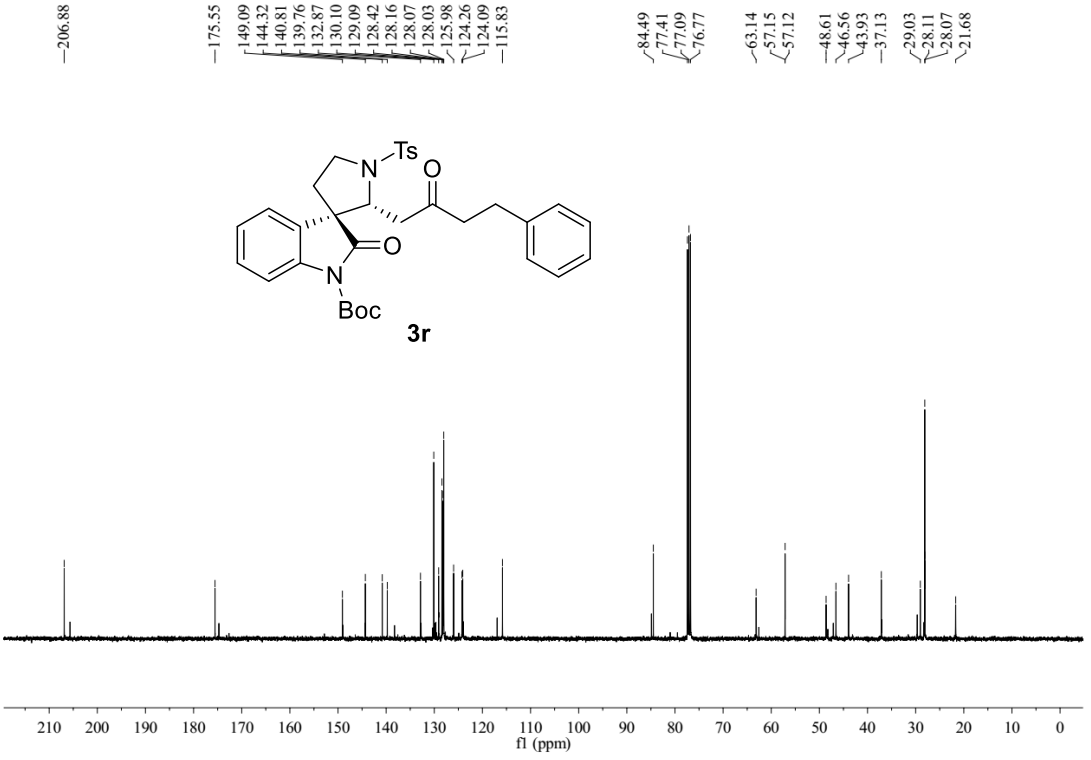

7.85 7.84 7.83 7.82 7.41 7.39 7.33 7.31 7.30 7.29 7.27 7.26 7.19 7.19 7.17 4.35 4.34 4.32 4.31 3.77 3.75 3.66 3.65 3.64 3.40 3.39 3.35 3.34 2.79 2.76 2.74 2.72 2.46 2.07 2.03 1.82 1.81 1.75 1.74 1.73 1.71 1.70 1.69 1.64 1.16 1.14 1.12 1.10 0.98 0.96 0.96 0.94 0.92 0.92 0.82 0.80 0.78 0.00
Ts
N
O
n-Bu
O
N
Boc
3p
3.01 1.99 2.03 0.99 1.00 1.01 1.00 1.00 1.00 3.01 1.05 1.02 2.00 9.08 4.02 2.01 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)

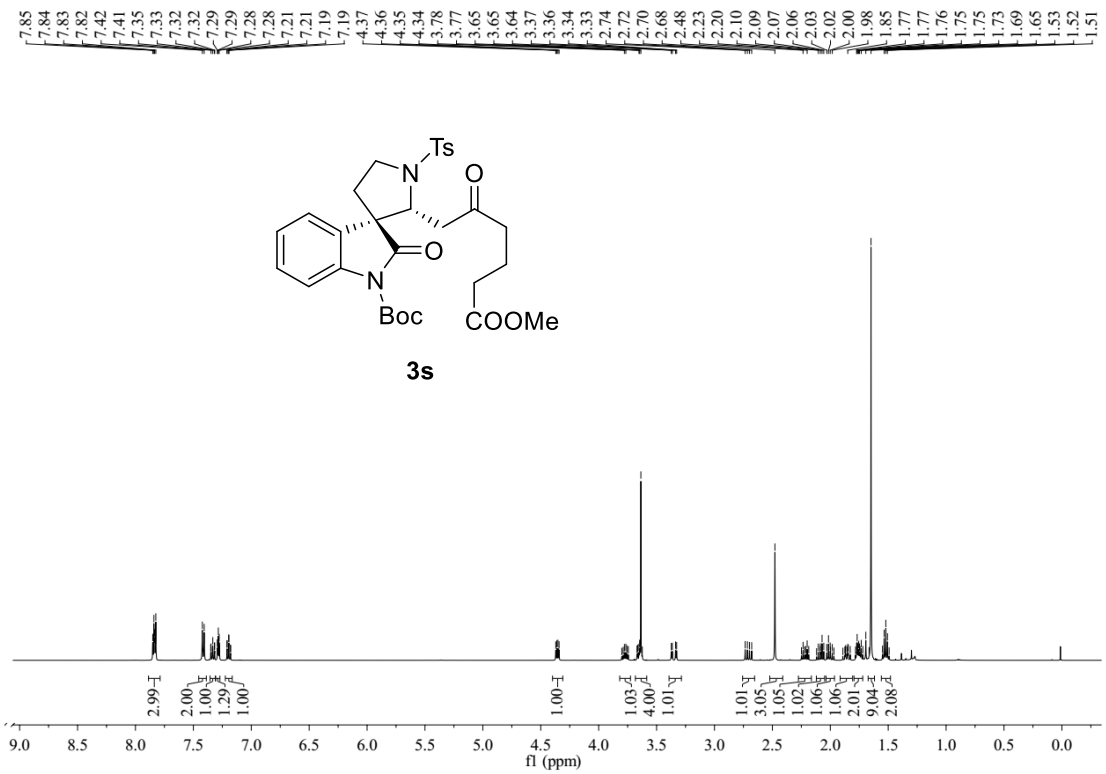

208.17 175.61 149.10 144.28 139.75 132.91 130.09 128.97 128.07 128.01 124.14 124.03 115.81 84.38 77.39 77.08 76.76 63.10 57.10 48.56 46.57 42.10 37.33 30.96 28.08 22.89 22.29 21.68 13.82
Ts
N
O
n-Bu
O
N
Boc
3p
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

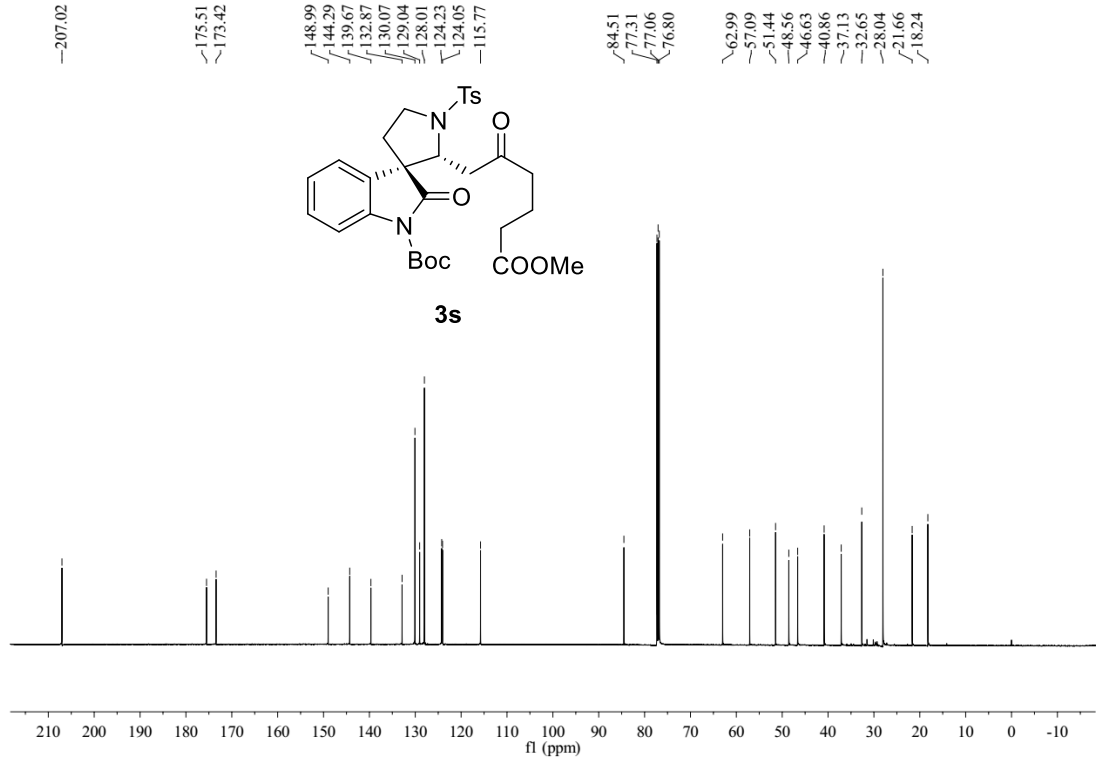

7.84
7.83
7.82
7.41
7.39
7.32
7.32
7.30
7.28
7.28
7.27
7.26
7.26
7.24
7.18
7.18
7.16
4.32
4.31
4.30
4.29
3.76
3.75
3.68
3.66
3.66
3.45
3.44
3.40
3.39
2.89
2.86
2.84
2.82
2.46
1.83
1.82
1.81
1.80
1.79
1.77
1.71
1.70
1.69
1.67
1.64
1.61
1.57
1.51
1.50
1.49
1.48
1.11
1.08
1.07
1.06
1.04
1.01
Ts
N
O
O
N
Boc
3q
2.99
2.01
2.37
1.00
1.00
1.99
1.00
1.00
3.00
2.08
12.01
3.01
5.00
0.99
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)

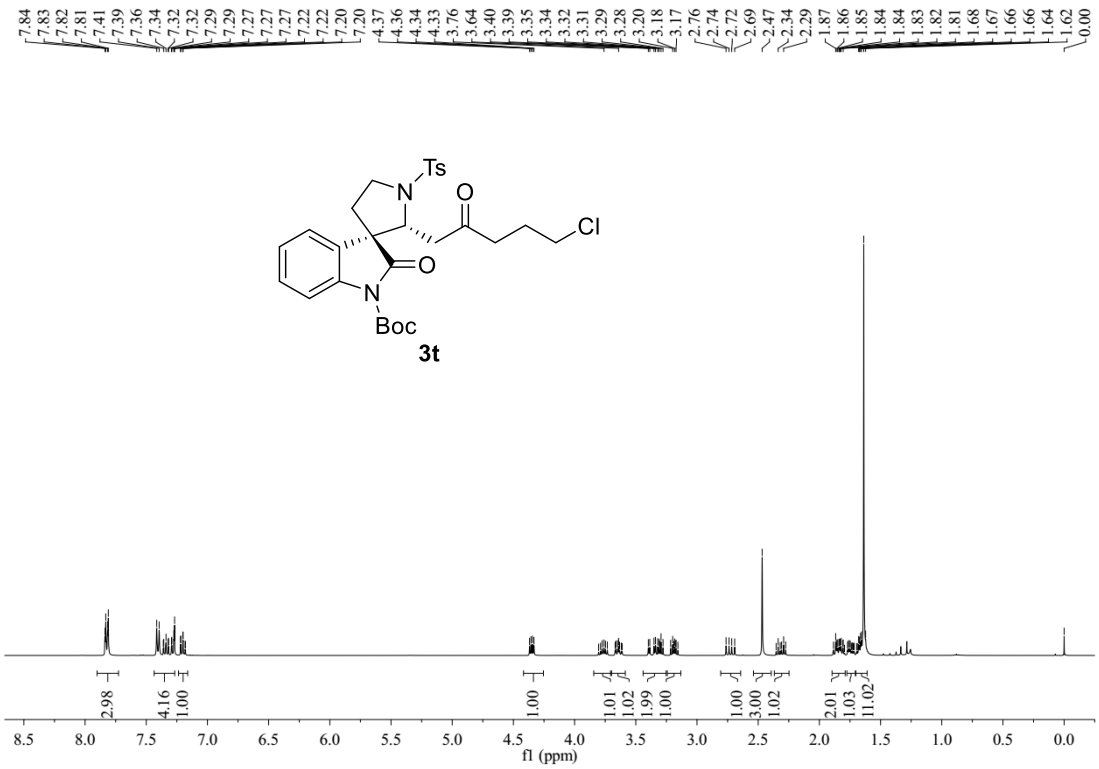

211.32
175.65
149.17
144.27
139.83
133.03
130.09
128.86
128.11
127.99
124.10
124.02
115.91
84.30
77.36
77.04
76.73
63.36
57.10
49.89
48.61
44.86
37.48
28.09
27.83
27.72
25.63
25.30
25.25
21.64
Ts
N
O
O
N
Boc
3q
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

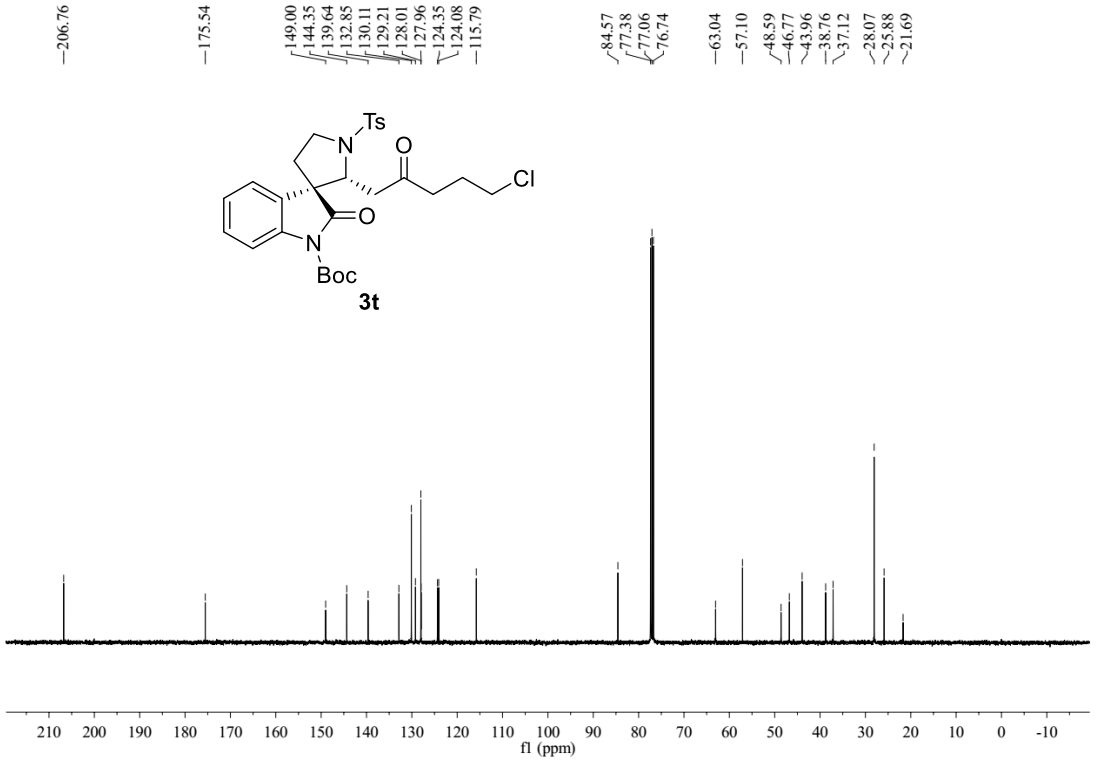

7.85 7.83 7.83 7.82 7.81 7.80 7.41 7.39 7.32 7.30 7.30 7.28 7.28 7.26 7.25 7.24 7.23 7.21 7.19 7.17 7.16 7.15 7.14 6.98 6.96 4.37 4.36 4.35 4.34 3.64 3.38 3.37 3.33 3.32 2.75 2.73 2.70 2.68 2.49 2.48 2.47 2.45 2.43 2.42 2.41 2.04 1.96 1.84 1.84 1.80 1.76 1.69 1.65 1.62 1.50 1.29 1.26 0.00
Ts
N
O
O
N
Boc
3r
3.08 3.03 5.06 2.02 1.00 1.01 1.00 1.07 0.99 5.06 2.01 1.00 0.99 9.06
fl (ppm)

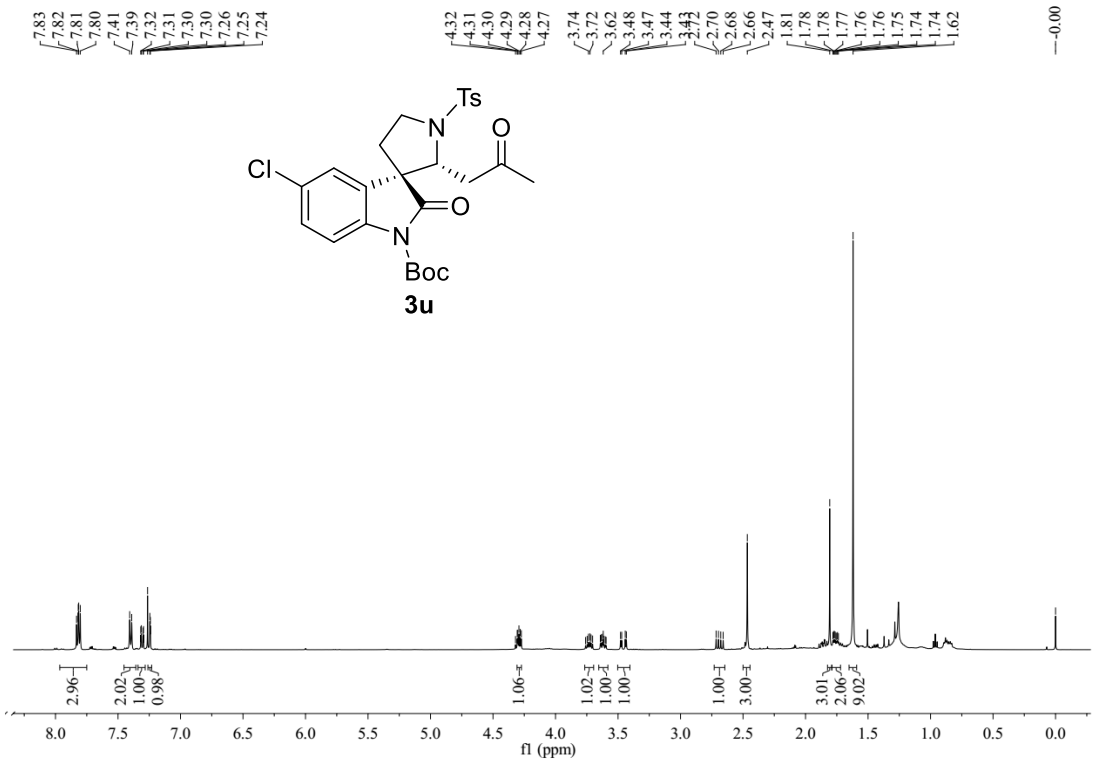

206.88 175.55 149.09 144.32 140.81 139.76 132.87 130.10 129.09 128.42 128.16 128.07 128.03 125.98 124.26 124.09 115.83 84.49 77.41 77.09 76.77 63.14 57.15 57.12 48.61 46.56 43.93 37.13 29.03 28.11 28.07 21.68
Ts
N
O
O
N
Boc
3r
fl (ppm)

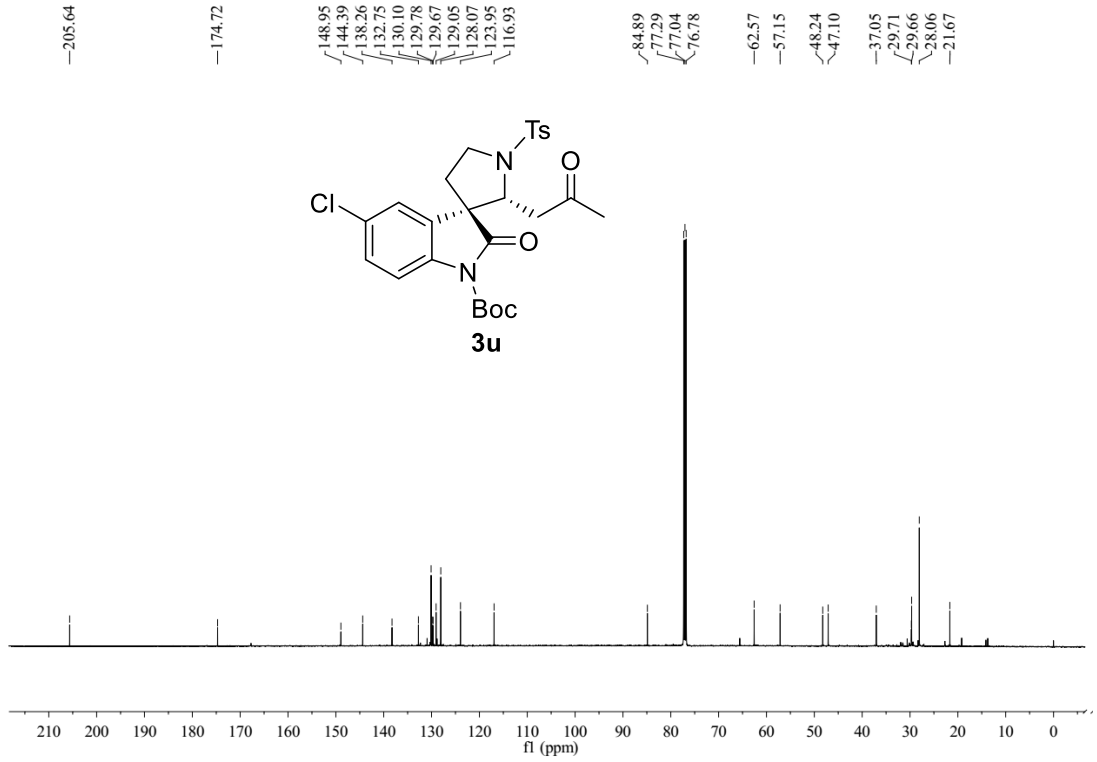

7.85 7.84 7.83 7.82 7.42 7.41 7.35 7.33 7.32 7.32 7.29 7.29 7.28 7.28 7.21 7.21 7.19 7.19
4.37 4.36 4.35 4.34 3.78 3.77 3.65 3.65 3.64 3.37 3.36 3.34 3.33 2.74 2.72 2.70 2.68 2.48 2.23 2.20 2.10 2.09 2.07 2.06 2.03 2.02 2.00 1.98 1.85 1.77 1.77 1.76 1.75 1.75 1.73 1.69 1.65 1.53 1.52 1.51
Ts
N
O
O
N
Boc
COOMe
3s
2.99 2.00 1.00 1.29 1.00 1.00 1.03 4.00 1.01 1.01 3.05 1.05 1.02 1.06 1.06 2.01 9.04 2.08
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)

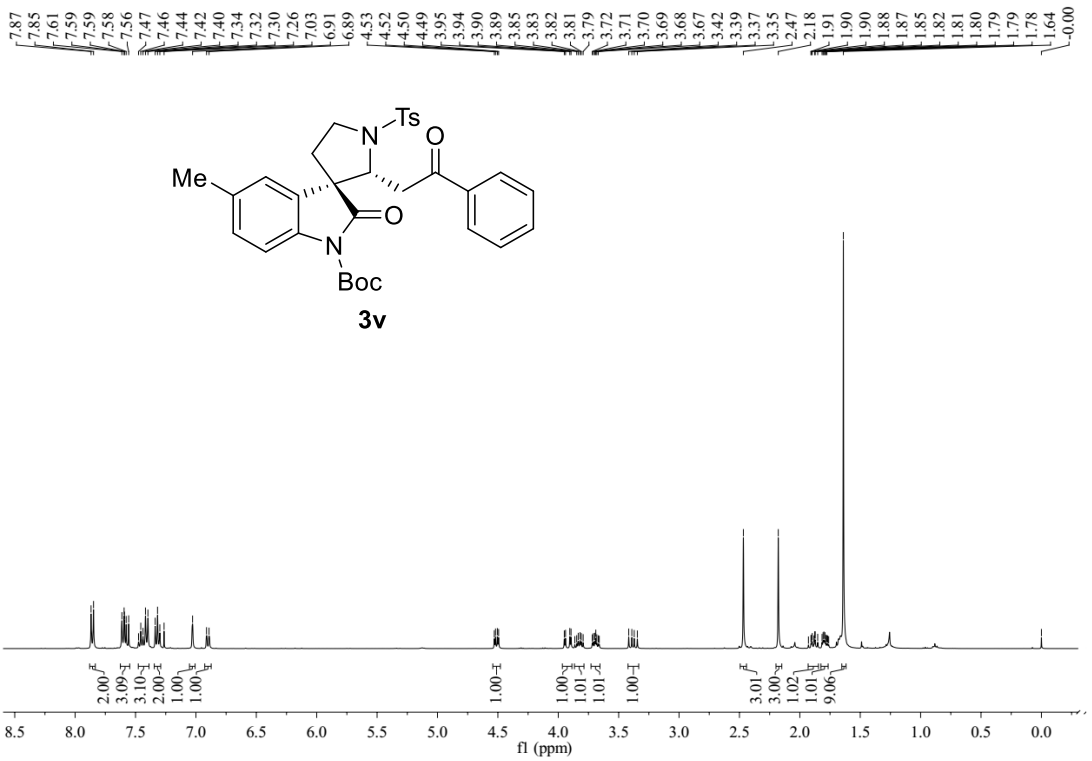

207.02
175.51 173.42
148.99 144.29 139.67 132.87 130.07 129.04 128.01 124.23 124.05 115.77
84.51 77.31 77.06 76.80
62.99 57.09 51.44 48.56 46.63 40.86 37.13 32.65 28.04 21.66 18.24
Ts
N
O
O
N
Boc
COOMe
3s
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

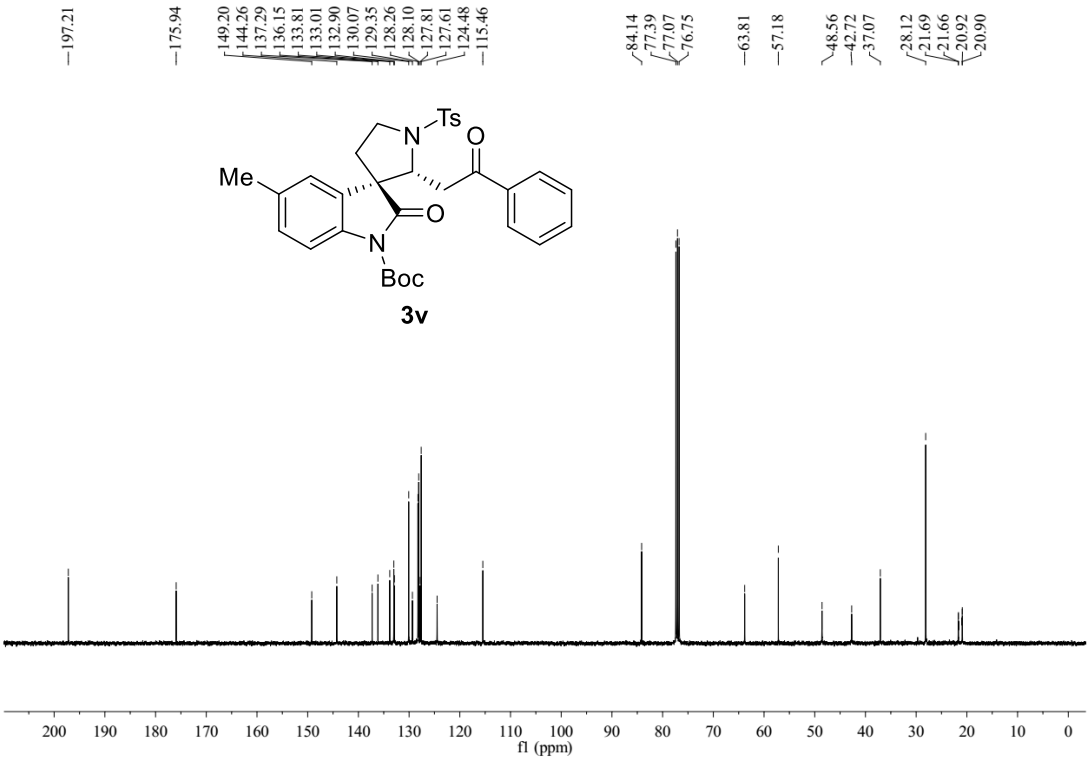

7.84 7.83 7.82 7.81 7.41 7.39 7.36 7.34 7.32 7.32 7.29 7.29 7.27 7.27 7.27 7.22 7.22 7.20 7.20
4.37 4.36 4.34 4.33 3.76 3.64 3.40 3.39 3.35 3.34 3.32 3.31 3.29 3.28 3.20 3.18 3.17
2.76 2.74 2.72 2.69 2.47 2.34 2.29
1.87 1.86 1.85 1.84 1.84 1.83 1.82 1.81 1.68 1.67 1.66 1.66 1.64 1.62 0.00
Ts
N
O
Cl
O
N
Boc
3t
2.98 4.16 1.00 1.00 1.01 1.02 1.99 1.00 1.00 3.00 1.02 2.01 1.03 11.02
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)

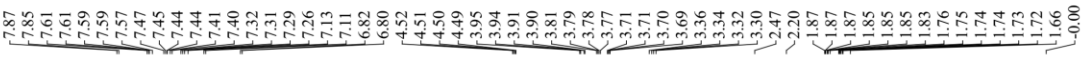

206.76
175.54
149.00 144.35 139.64 132.85 130.11 129.21 128.01 127.96 124.35 124.08 115.79
84.57 77.38 77.06 76.74
63.04 57.10 48.59 46.77 43.96 38.76 37.12 28.07 25.88 21.69
Ts
N
O
Cl
O
N
Boc
3t
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

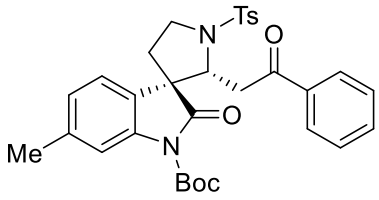

7.83
7.82
7.81
7.80
7.41
7.39
7.32
7.31
7.30
7.30
7.26
7.25
7.24
4.32
4.31
4.30
4.29
4.28
4.27
3.74
3.72
3.62
3.48
3.47
3.44
2.70
2.68
2.66
2.47
1.81
1.78
1.78
1.77
1.76
1.76
1.75
1.74
1.74
1.62
0.00
Ts
N
O
Cl
O
N
Boc
3u
2.96
2.02
1.00
0.98
1.06
1.02
1.00
1.00
1.00
3.00
3.01
2.06
9.02
8.0
7.5
7.0
6.5
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)


205.64
174.72
148.95
144.39
138.26
132.75
130.10
129.78
129.67
129.05
128.07
123.95
116.93
84.89
77.29
77.04
76.78
62.57
57.15
48.24
47.10
37.05
29.71
29.66
28.06
21.67
Ts
N
O
Cl
O
N
Boc
3u
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

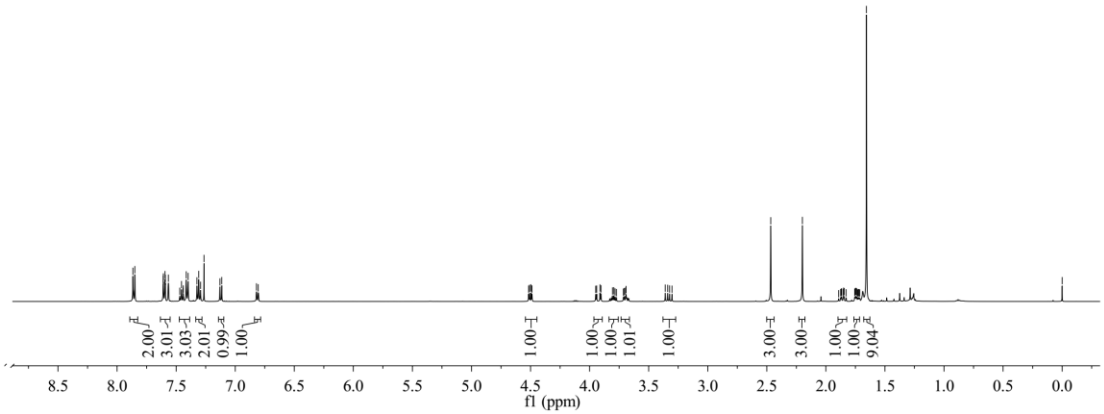

Ts
N
O
Me
O
N
Boc
3v
fl (ppm)

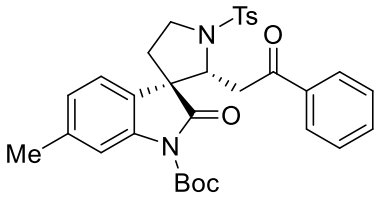

Ts
N
O
Me
O
N
Boc
3v
fl (ppm)



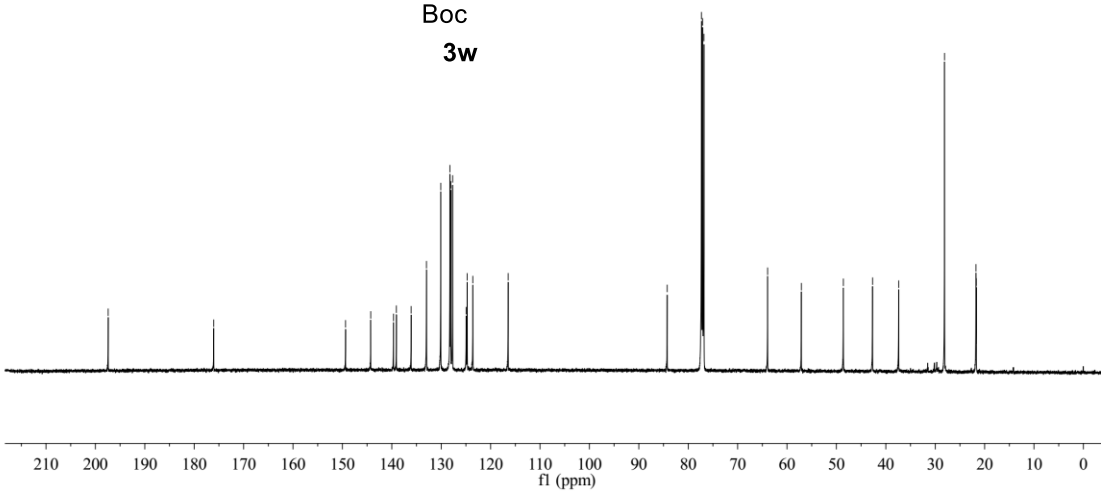

Ts
N
O
O
Me
N
Boc

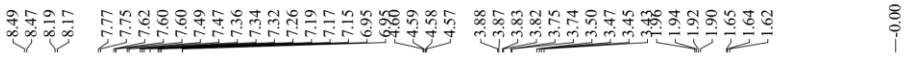
3w


2.00
3.01
3.03
2.01
0.99
1.00
1.00
1.00
1.00
1.01
1.00
3.00
3.00
1.00
1.00
9.04
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)


Ts
N
O
O
Me
N
Boc

3w


210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


8.49
8.47
8.19
8.17
7.77
7.75
7.62
7.60
7.60
7.49
7.47
7.36
7.34
7.32
7.26
7.19
7.17
7.15
6.95
4.60
4.59
4.58
4.57
3.88
3.87
3.83
3.82
3.75
3.74
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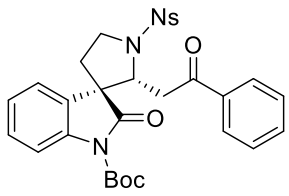
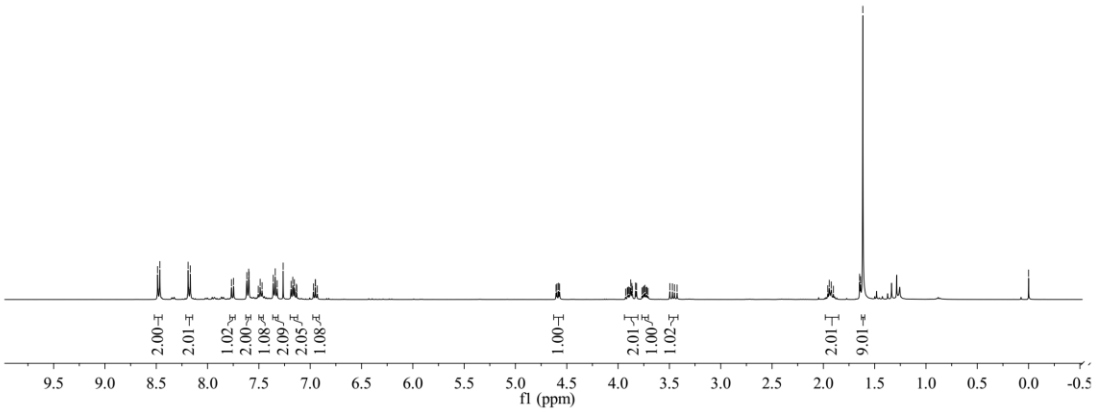
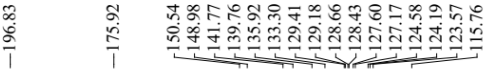
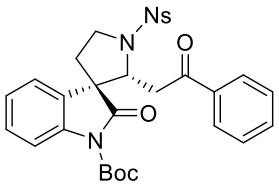
1.92
1.90
1.65
1.64
1.62
0.00


Ns
N
O
O
N
Boc

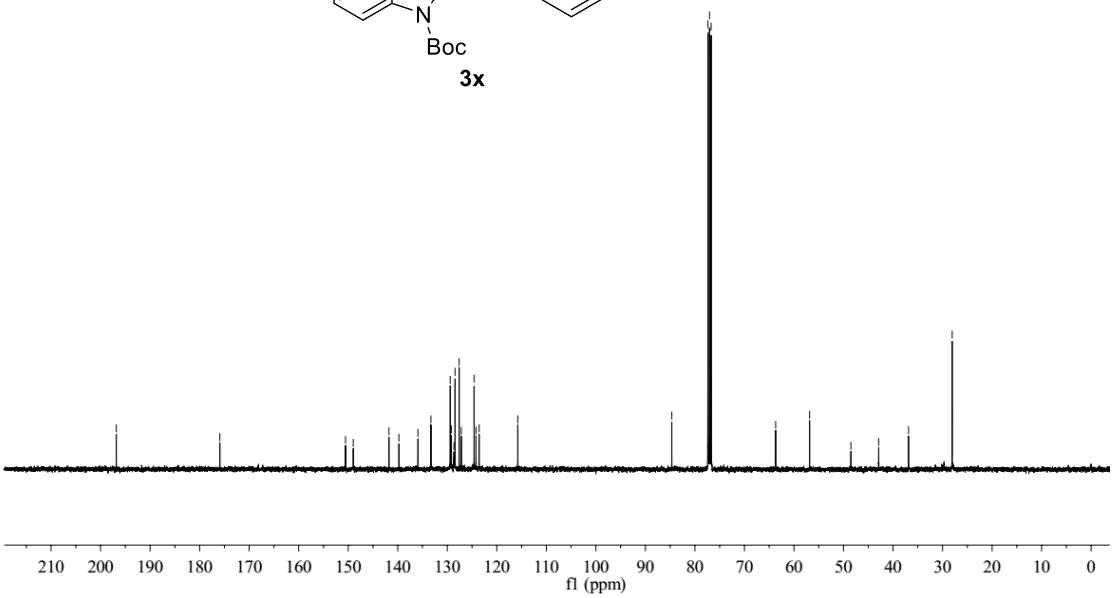
3x

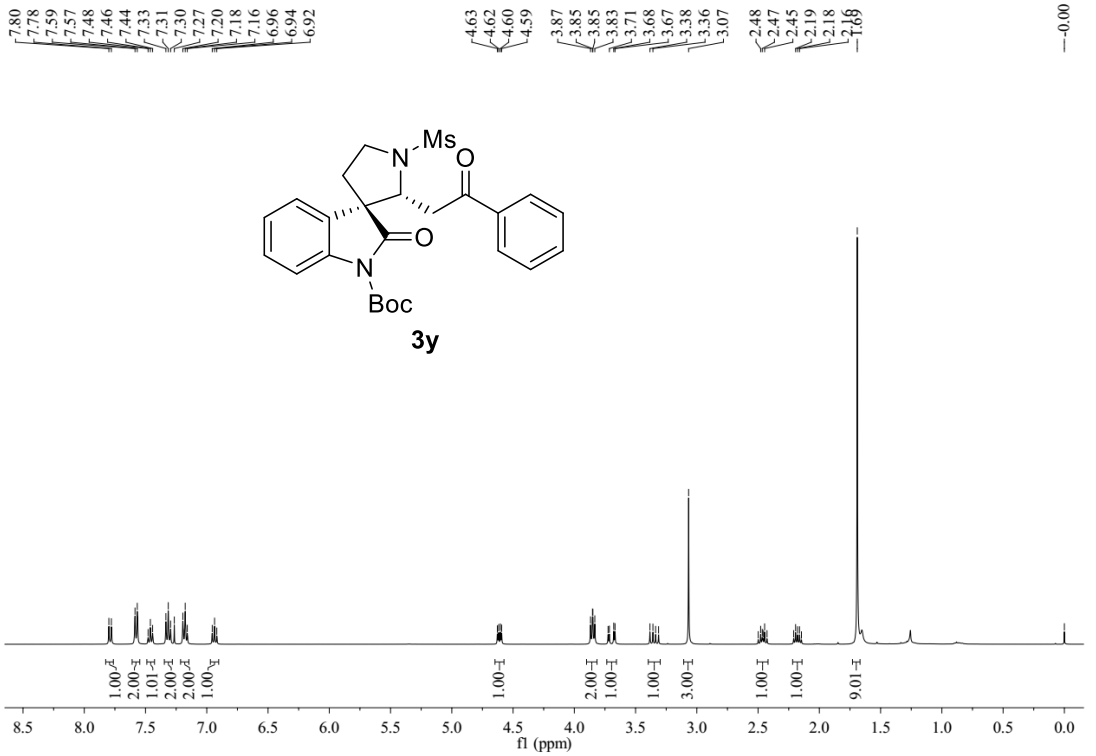

2.00
2.01
1.02
2.00
1.08
2.09
2.05
1.08
1.00
2.01
1.00
1.02
2.01
9.01
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

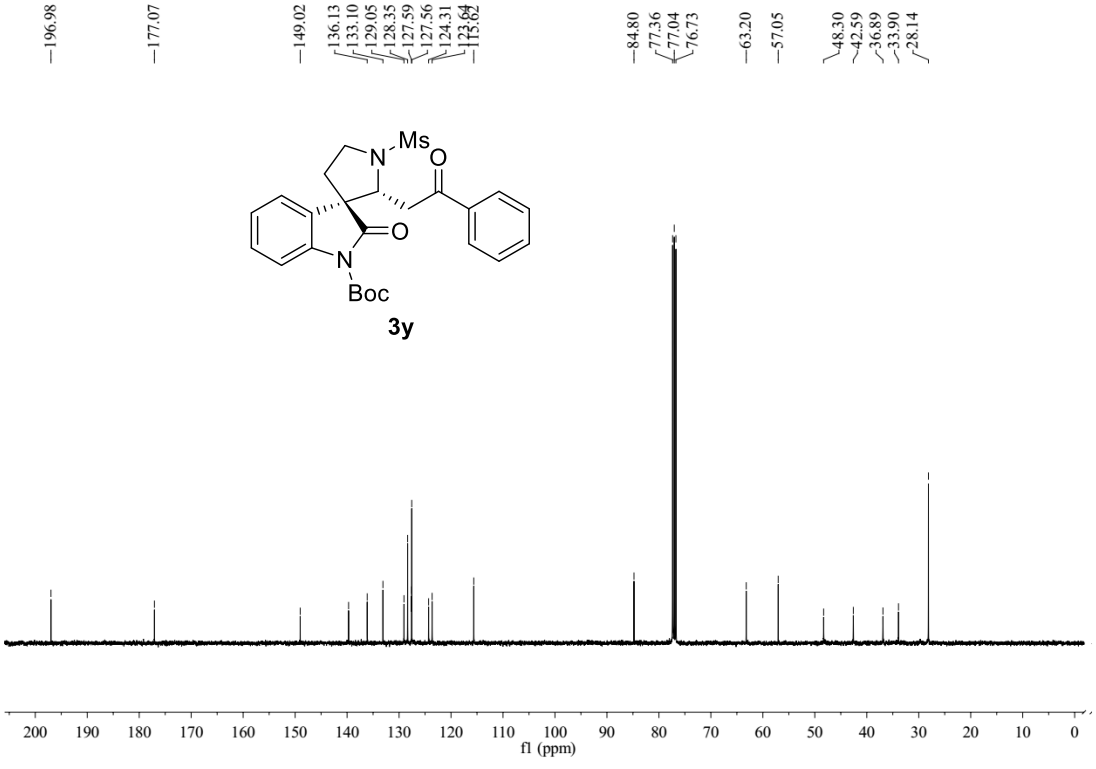

196.83
175.92
150.54
148.98
141.77
139.76
135.92
133.30
129.41
129.18
128.66
128.43
127.60
127.17
124.58
124.19
123.57
115.76
84.67
77.37
77.05
76.73
63.70
56.85
48.50
42.90
36.87
28.05

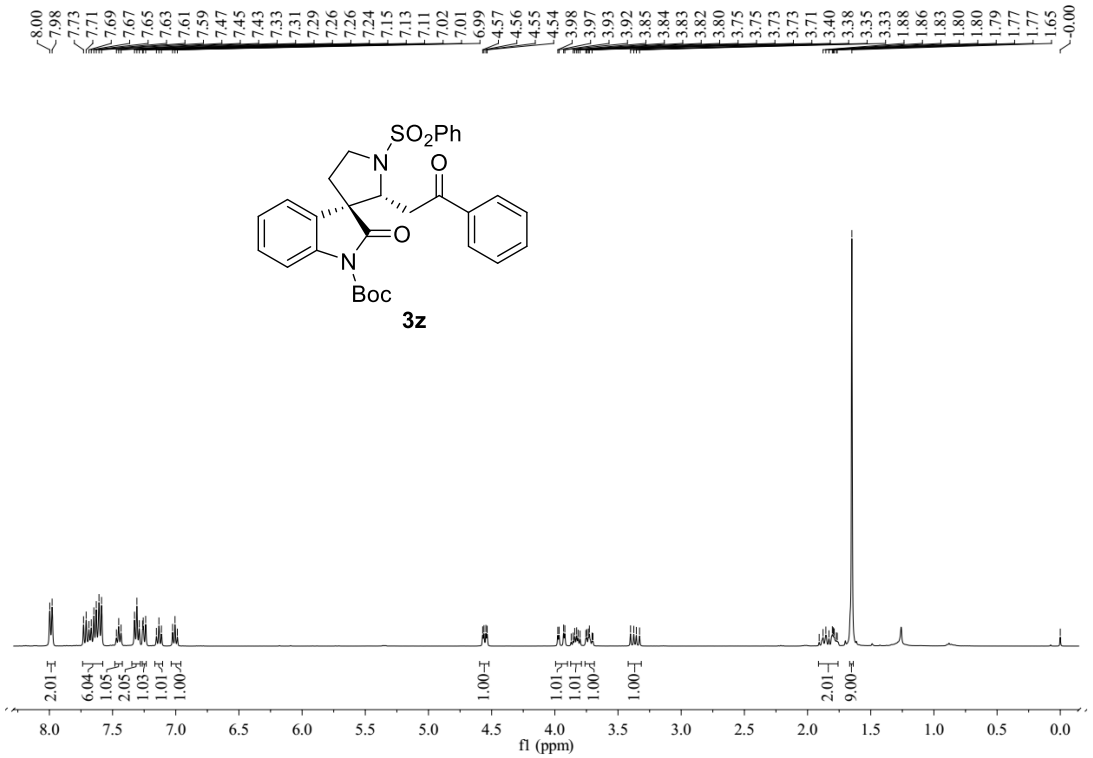

Ns
N
O
O
N
Boc

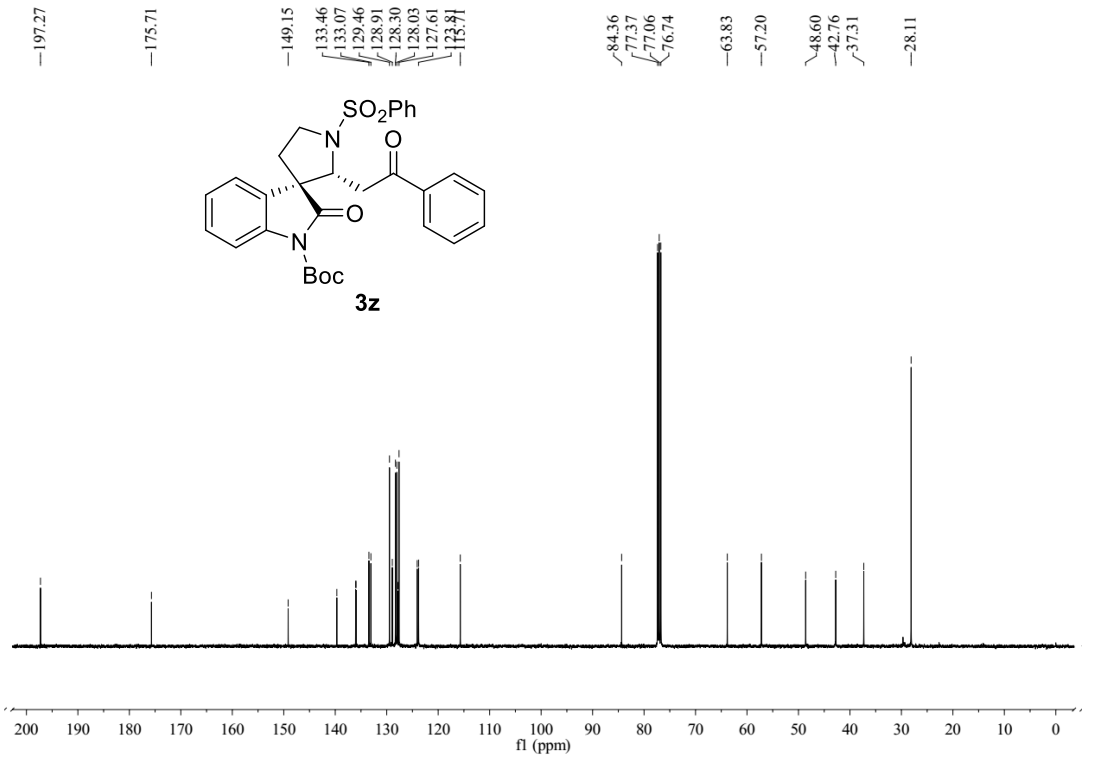
3x

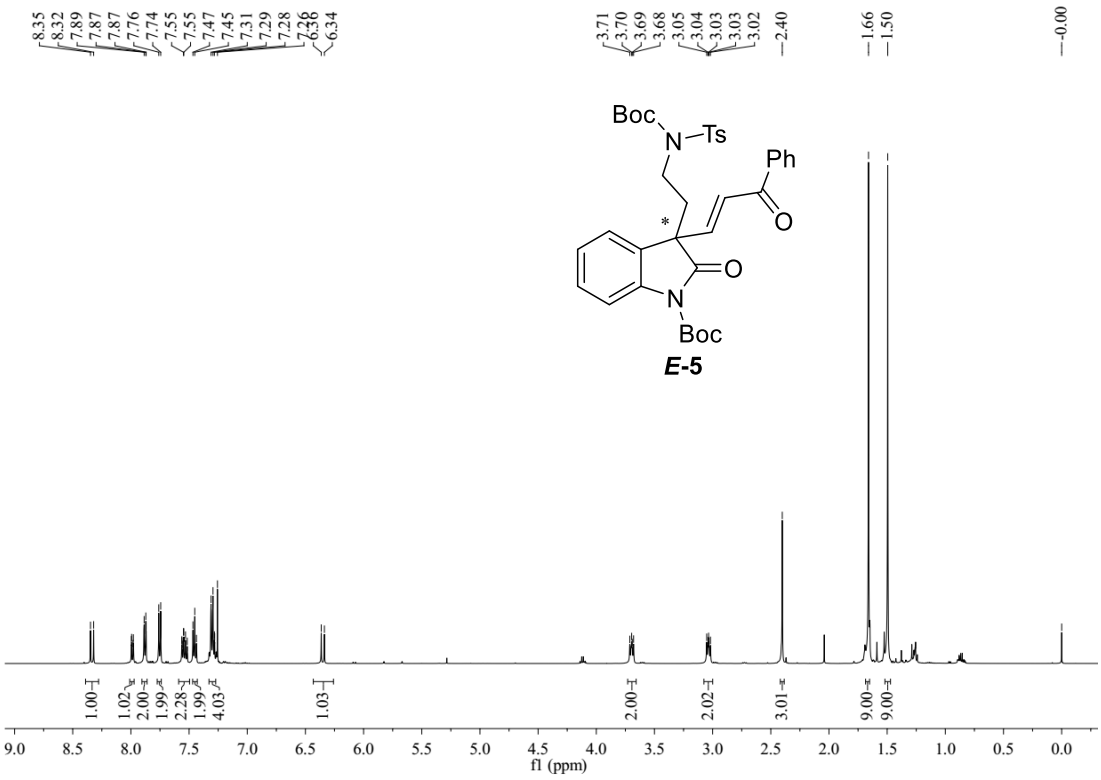

210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

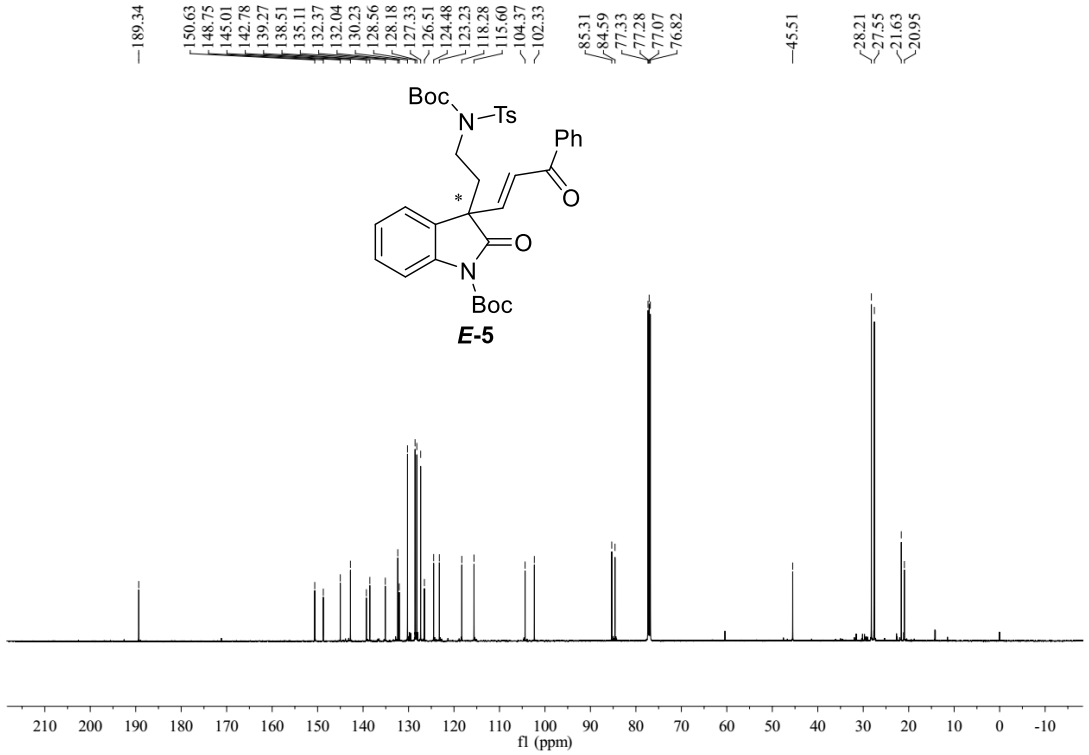

7.80
7.78
7.59
7.57
7.48
7.46
7.44
7.33
7.31
7.30
7.27
7.20
7.18
7.16
6.96
6.94
6.92
4.63
4.62
4.60
4.59
3.87
3.85
3.85
3.83
3.71
3.68
3.67
3.38
3.36
3.07
2.48
2.47
2.45
2.19
2.18
1.69
0.00
Ms
N
O
O
N
Boc
3y
1.00
2.00
1.01
2.00
2.00
1.00
1.00
2.00
1.00
1.00
3.00
1.00
1.00
9.01
8.5
8.0
7.5
7.0
6.5
6.0
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)

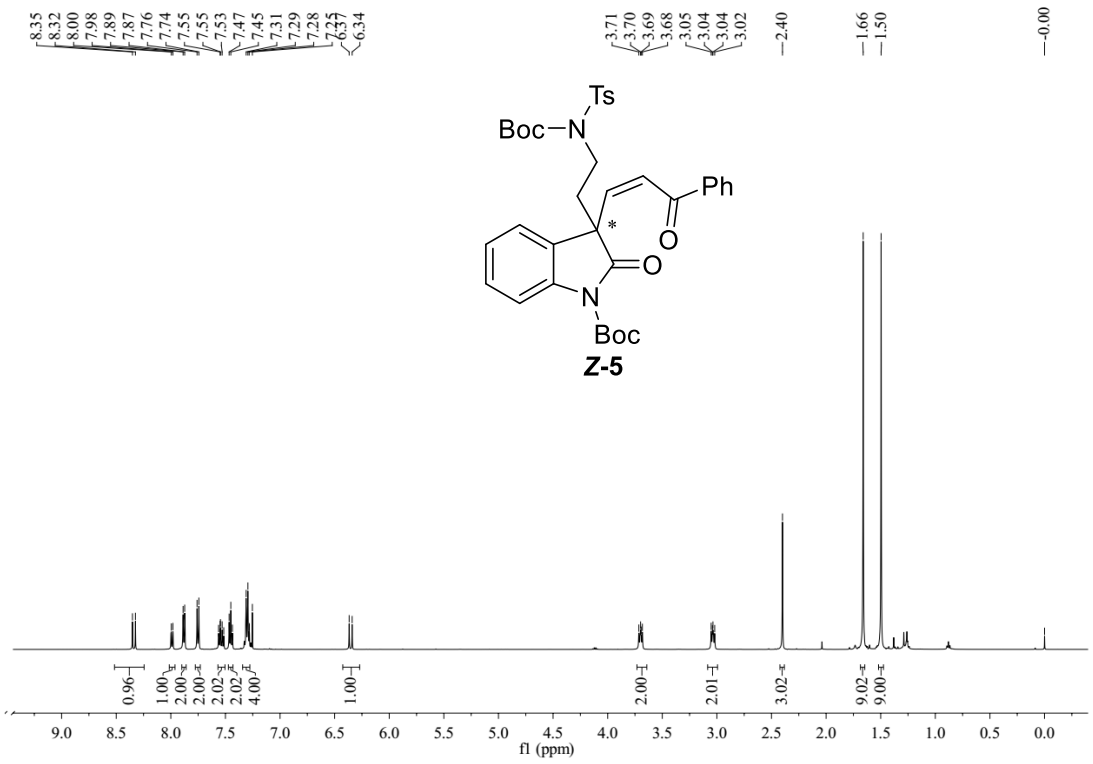

196.98
177.07
149.02
136.13
133.10
129.05
128.35
127.59
127.56
124.31
84.80
77.36
77.04
76.73
63.20
57.05
48.30
42.59
36.89
33.90
28.14
Ms
N
O
O
N
Boc
3y
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

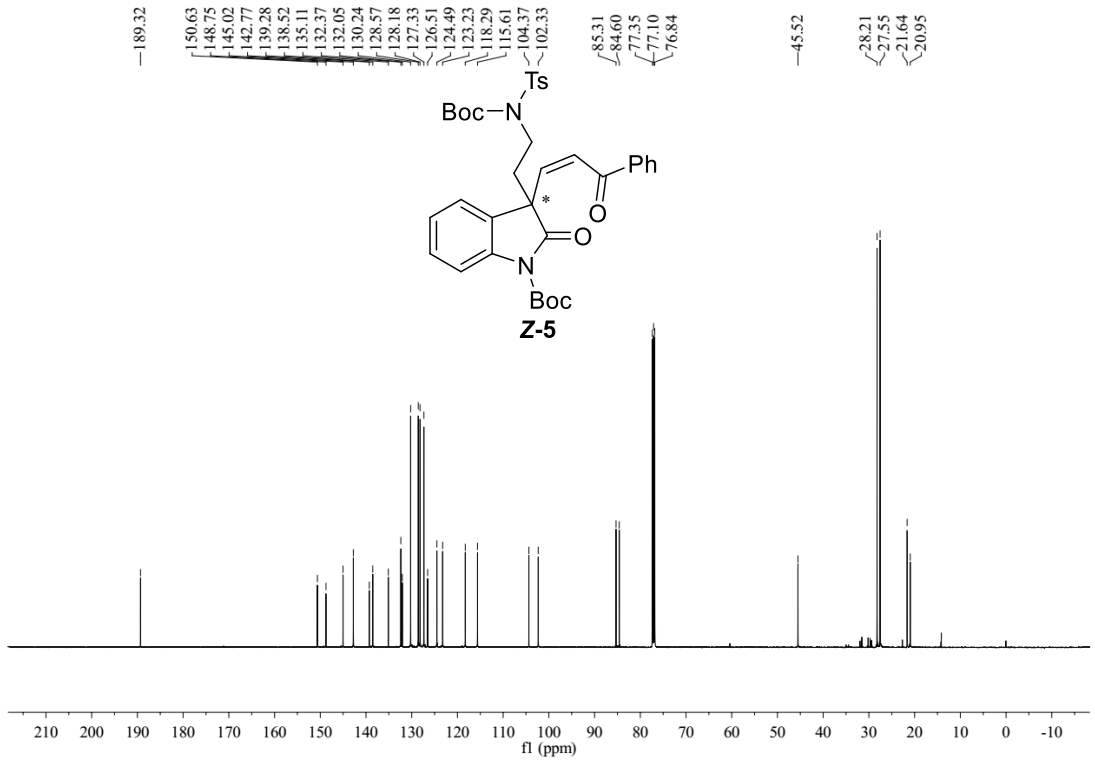

8.00 7.98 7.73 7.71 7.69 7.67 7.65 7.63 7.61 7.59 7.47 7.45 7.43 7.33 7.31 7.29 7.26 7.26 7.24 7.15 7.13 7.11 7.02 7.01 6.99 4.57 4.56 4.55 4.54 3.98 3.97 3.93 3.92 3.85 3.84 3.83 3.82 3.80 3.75 3.75 3.73 3.73 3.71 3.40 3.38 3.35 3.33 1.88 1.86 1.83 1.80 1.80 1.79 1.77 1.77 1.65 0.00
SO2Ph
N
O
O
N
Boc
3z
2.01 6.04 1.05 2.05 1.03 1.01 1.00 1.00 1.01 1.01 1.00 1.00 2.01 9.00
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)

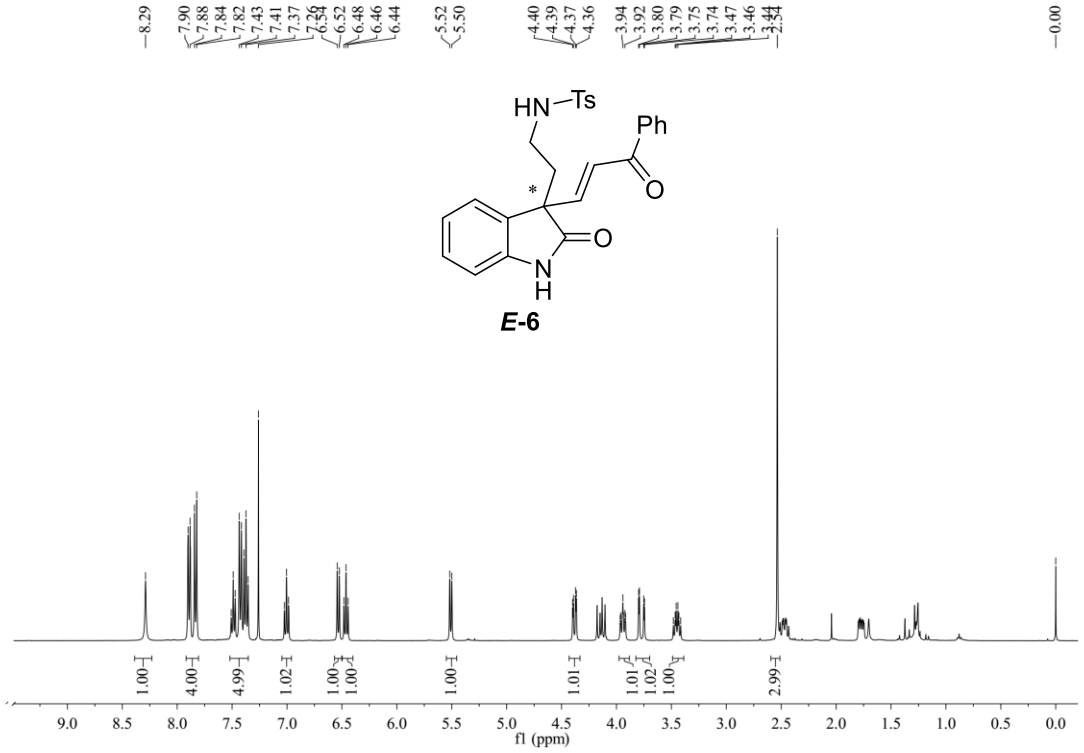

197.27 175.71 149.15 133.46 133.07 129.46 128.91 128.30 128.03 127.61 123.81 115.71 84.36 77.37 77.06 76.74 63.83 57.20 48.60 42.76 37.31 28.11
SO2Ph
N
O
O
N
Boc
3z
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

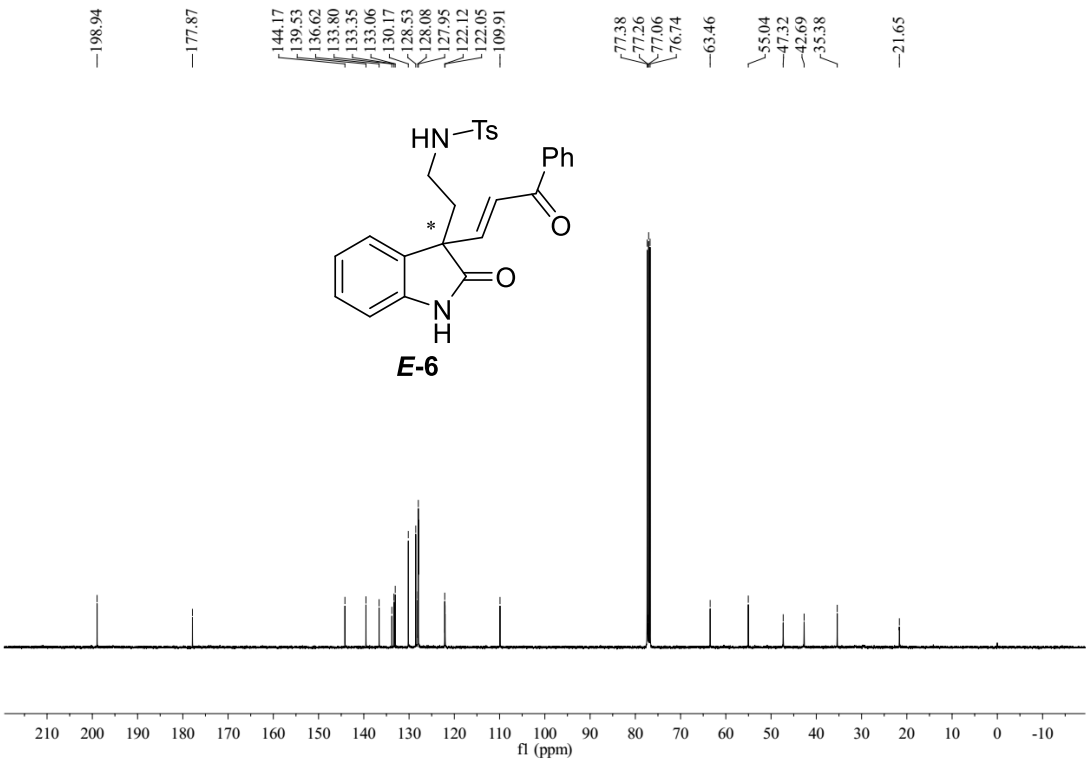

8.35
8.32
7.89
7.87
7.87
7.76
7.74
7.55
7.55
7.47
7.45
7.31
7.29
7.28
6.36
6.34
3.71
3.70
3.69
3.68
3.05
3.04
3.03
3.03
3.02
2.40
1.66
1.50
0.00
Boc
N
Ts
Ph
O
*
O
N
Boc
E-5
1.00
1.02
2.00
1.99
2.28
1.99
4.03
1.03
2.00
2.02
3.01
9.00
9.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

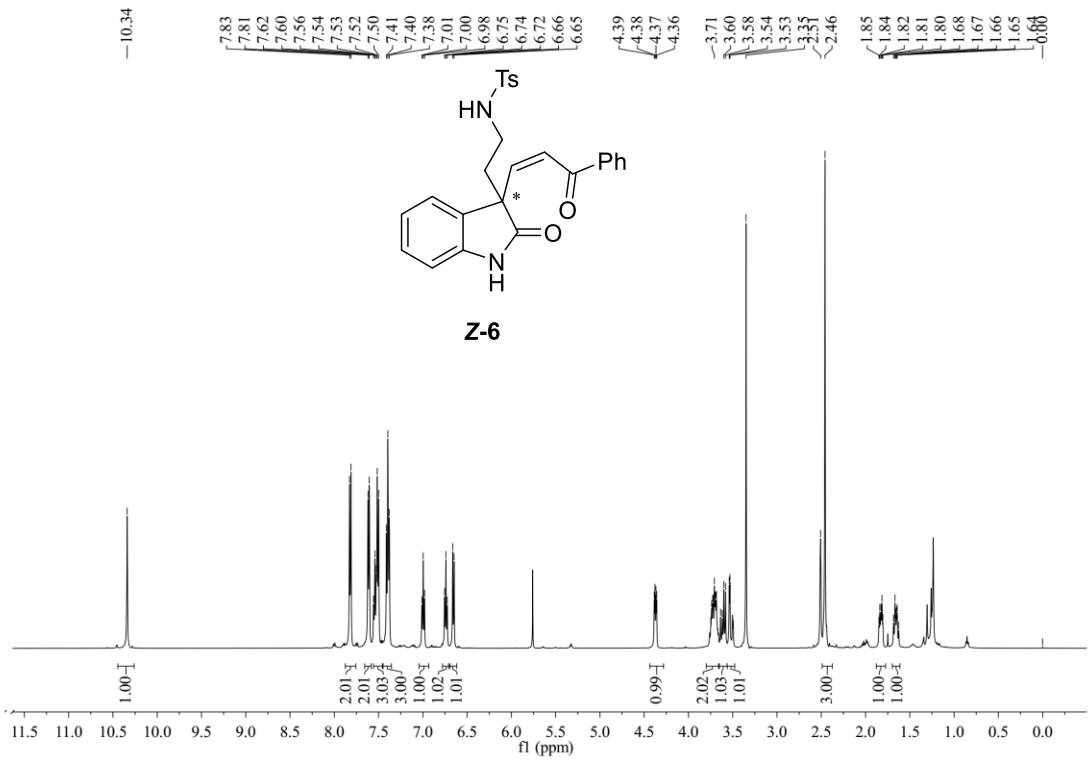

189.34
150.63
148.75
145.01
142.78
139.27
138.51
135.11
132.37
132.04
130.23
128.56
128.18
127.33
126.51
124.48
123.23
118.28
115.60
104.37
102.33
85.31
84.59
77.33
77.28
77.07
76.82
45.51
28.21
27.55
21.63
20.95
Boc
N
Ts
Ph
O
*
O
N
Boc
E-5
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

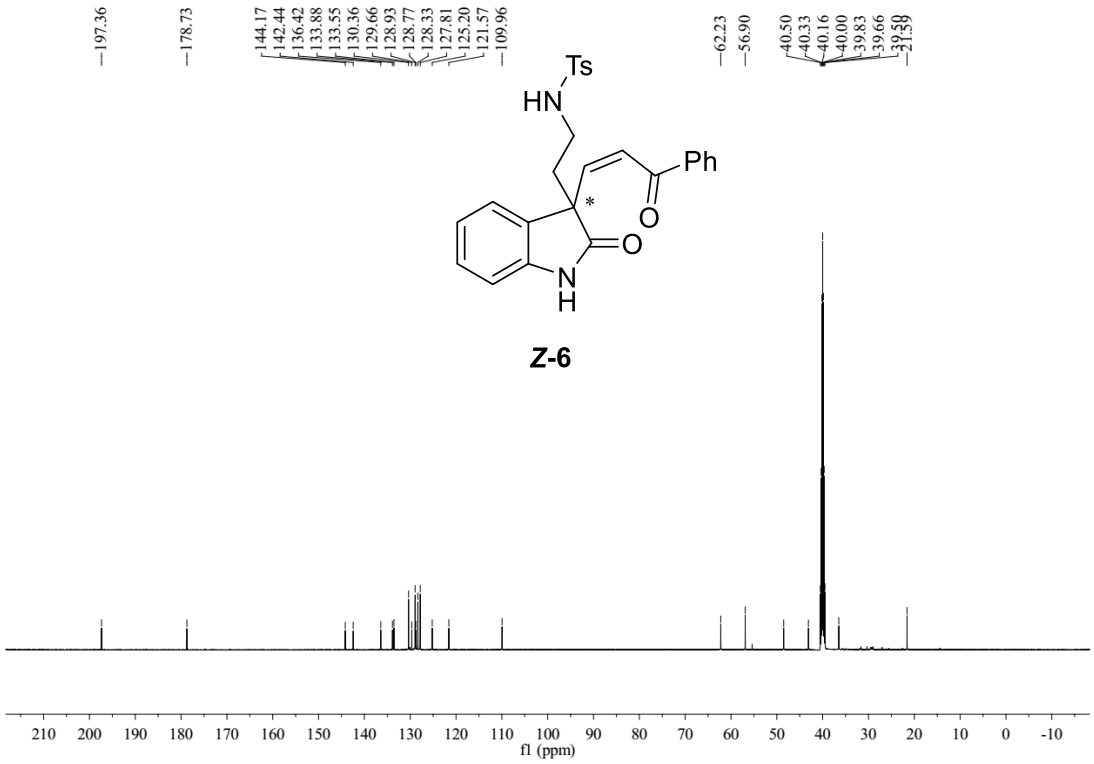

Ts
Boc—N
Ph
O
*
O
N
Boc
Z-5
fl (ppm)

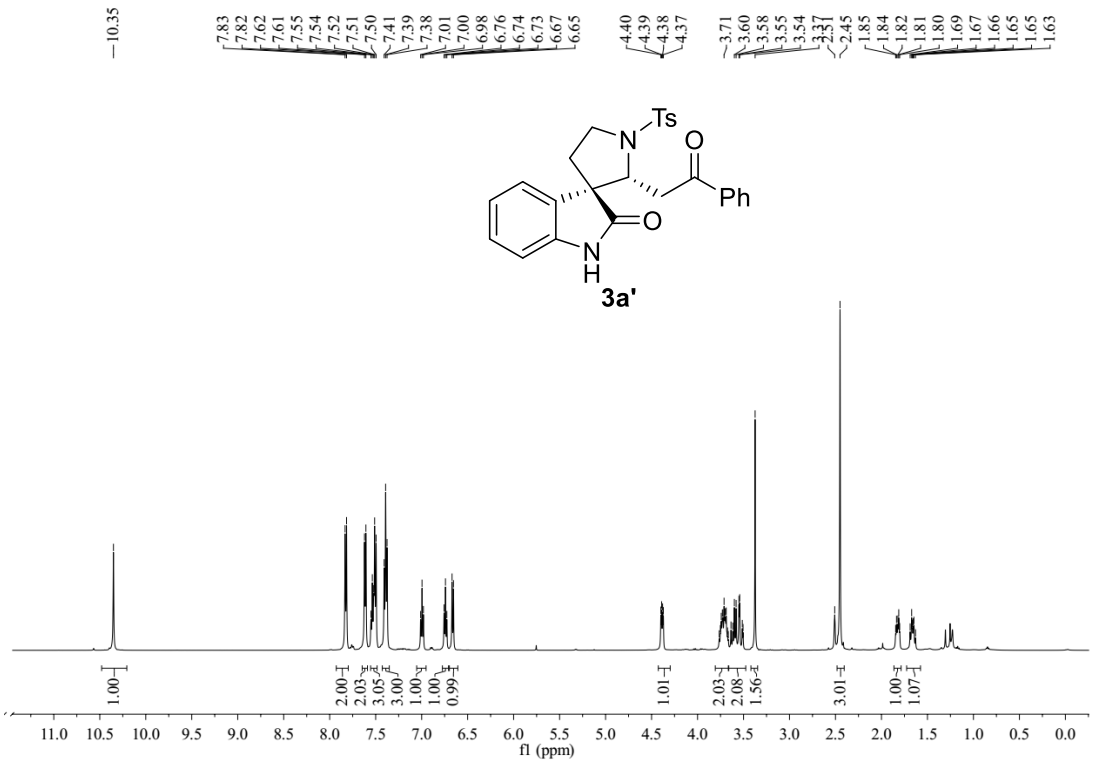

Ts
Boc—N
Ph
O
*
O
N
Boc
Z-5
fl (ppm)

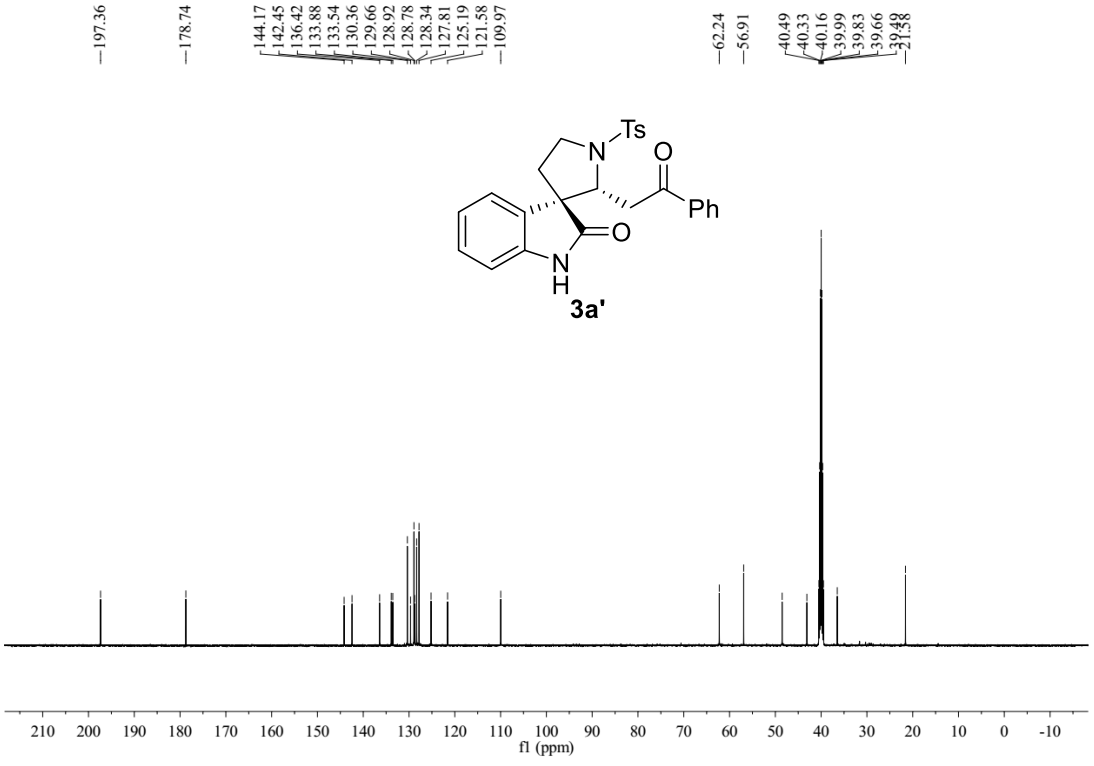

8.29
7.90
7.88
7.84
7.82
7.43
7.41
7.37
6.52
6.48
6.46
6.44
5.52
5.50
4.40
4.39
4.37
4.36
3.94
3.92
3.80
3.79
3.75
3.74
3.47
3.46
0.00
HN
Ts
Ph
O
*
O
N
H
E-6
1.00
4.00
4.99
1.02
1.00
1.00
1.00
1.01
1.01
1.02
1.00
2.99
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

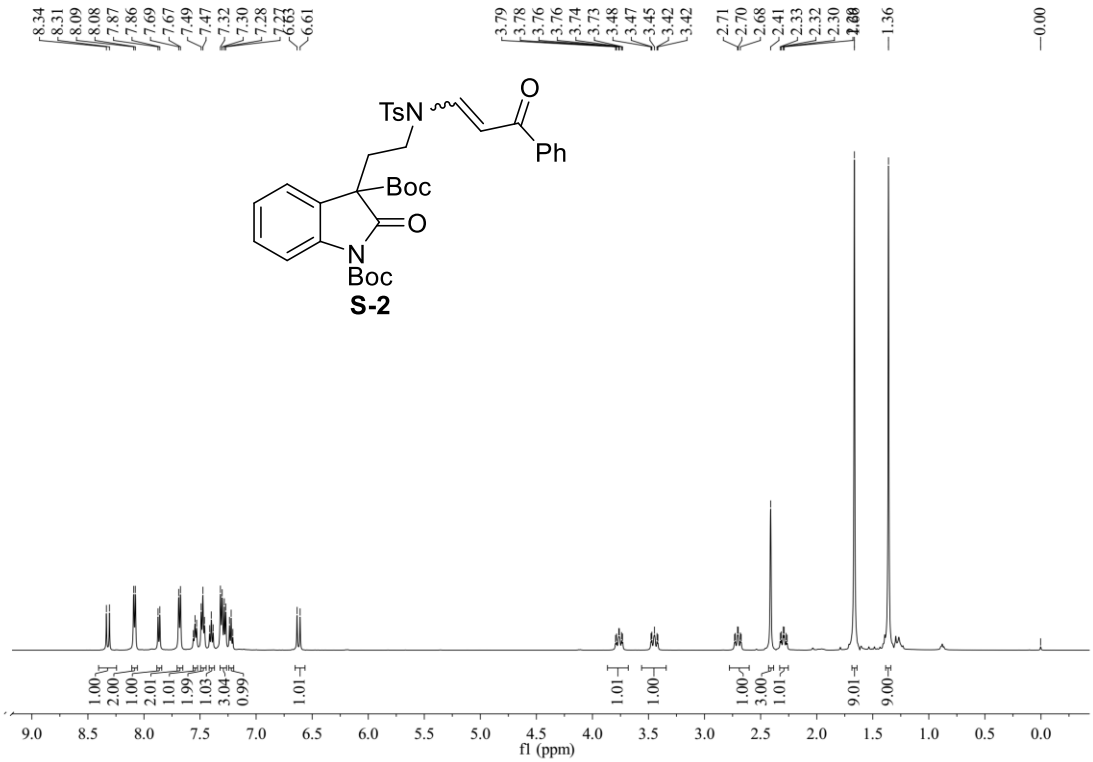

198.94
177.87
144.17
139.53
136.62
133.80
133.35
133.06
130.17
128.53
128.08
127.95
122.12
122.05
109.91
77.38
77.26
77.06
76.74
63.46
55.04
47.32
42.69
35.38
21.65
HN
Ts
Ph
O
*
O
N
H
E-6
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

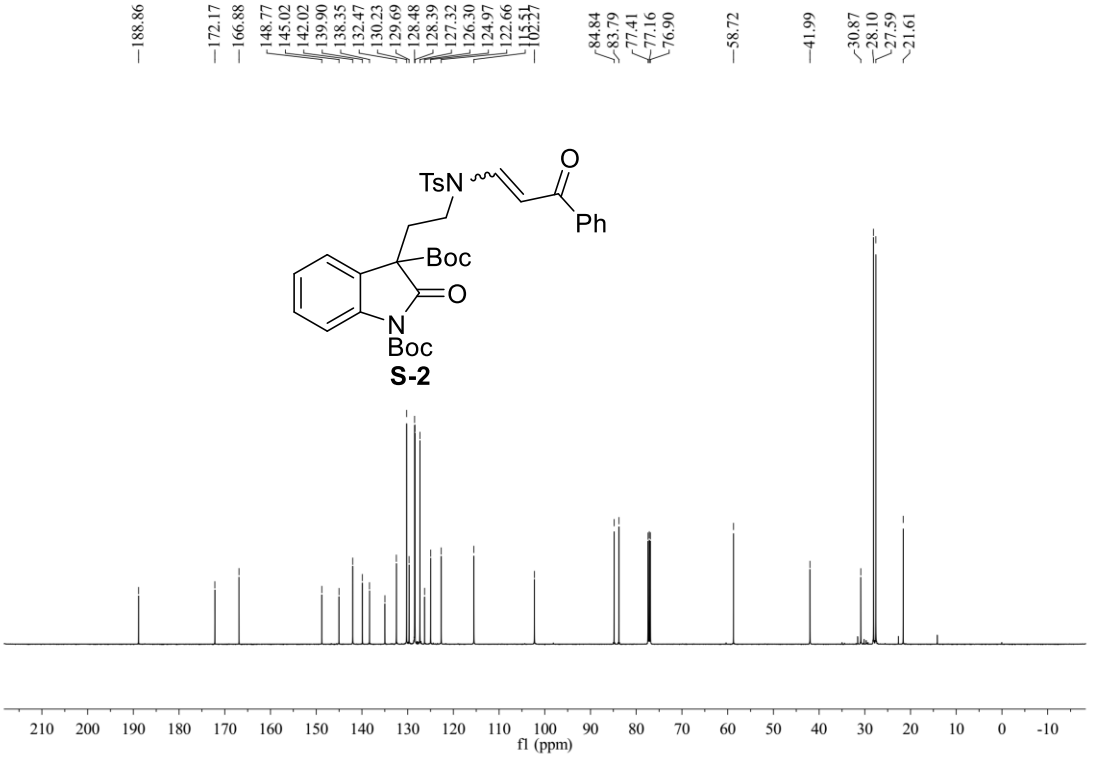

Ts
HN
Ph
O
O
N
H
*
Z-6
fl (ppm)

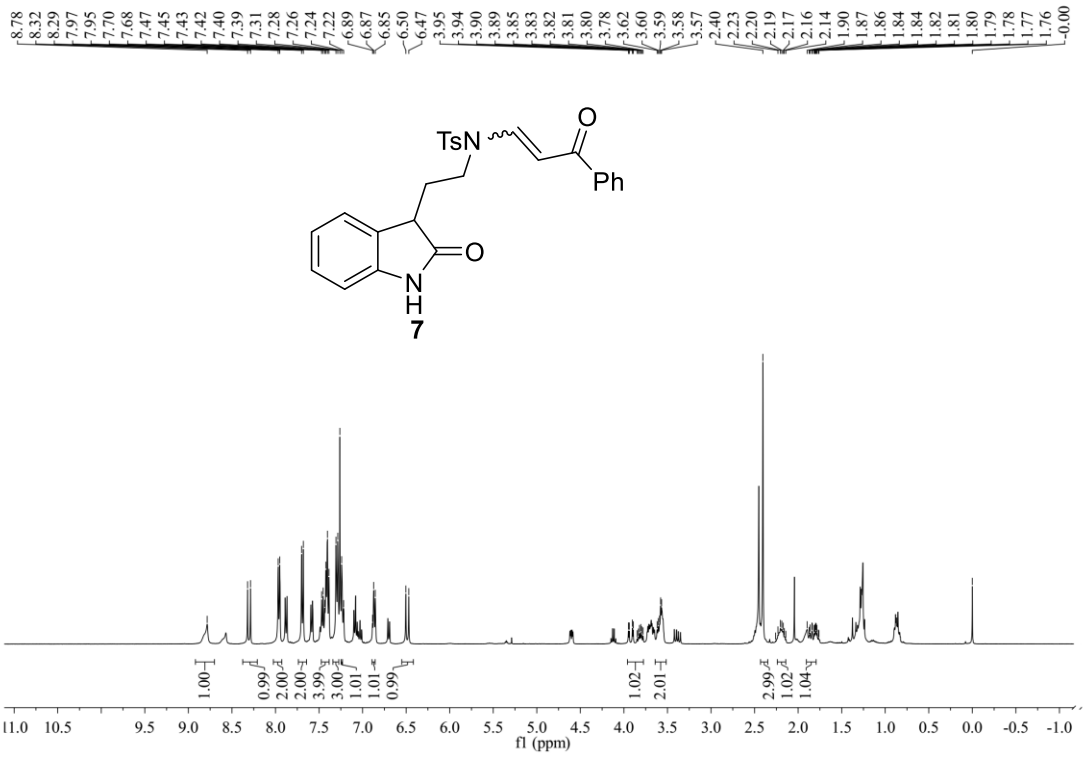

Ts
HN
Ph
O
O
N
H
*
Z-6
fl (ppm)

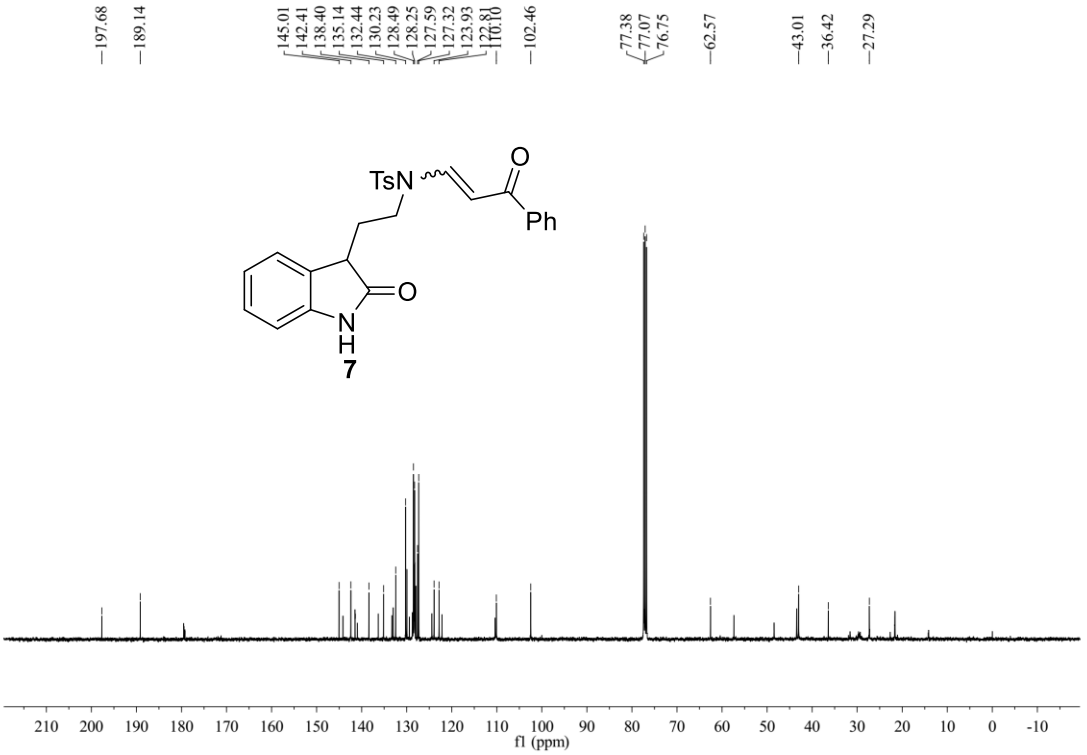

10.35
7.83
7.82
7.62
7.61
7.55
7.54
7.52
7.51
7.50
7.41
7.39
7.38
7.01
7.00
6.98
6.76
6.74
6.73
6.67
6.65
4.40
4.39
4.38
4.37
3.71
3.60
3.58
3.55
3.54
2.45
1.85
1.84
1.82
1.81
1.80
1.69
1.67
1.66
1.65
1.63
Ts
N
O
Ph
O
N
H
3a'
1.00
2.00
2.03
3.05
3.00
1.00
1.00
0.99
1.01
2.03
2.08
1.56
3.01
1.00
1.07
11.0 10.5 10.0 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)


197.36
178.74
144.17
142.45
136.42
133.88
133.54
130.36
129.66
128.92
128.78
128.34
127.81
125.19
121.58
109.97
62.24
56.91
40.49
40.33
40.16
39.99
39.83
39.66
39.49
21.58
Ts
N
O
Ph
O
N
H
3a'
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)


TsN
O
Ph
Boc
O
N
Boc
S-2
fl (ppm)


TsN
O
Ph
Boc
O
N
Boc
S-2
fl (ppm)


8.78 8.32 8.29 7.97 7.95 7.70 7.68 7.47 7.45 7.43 7.42 7.40 7.39 7.31 7.28 7.26 7.24 7.22 6.89 6.87 6.85 6.50 6.47 3.95 3.94 3.90 3.89 3.85 3.83 3.82 3.81 3.80 3.78 3.62 3.60 3.59 3.58 3.57 2.40 2.23 2.20 2.19 2.17 2.16 2.14 1.90 1.87 1.86 1.84 1.84 1.82 1.81 1.80 1.79 1.78 1.77 1.76 -0.00
TsN
O
Ph
O
N
H
7
1.00 0.99 2.00 2.00 3.99 3.00 1.01 1.01 0.99 1.02 2.01 2.99 1.02 1.04
11.0 10.5 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 -0.5 -1.0
f1 (ppm)


197.68 189.14 145.01 142.41 138.40 135.14 132.44 130.23 128.49 128.25 127.59 127.32 123.93 122.81 110.10 102.46 77.38 77.07 76.75 62.57 43.01 36.42 27.29
TsN
O
Ph
O
N
H
7
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)