Supporting Information

Alkene functionalization for the stereospecific synthesis of substituted aziridines by visible-light photoredox catalysis

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Contents

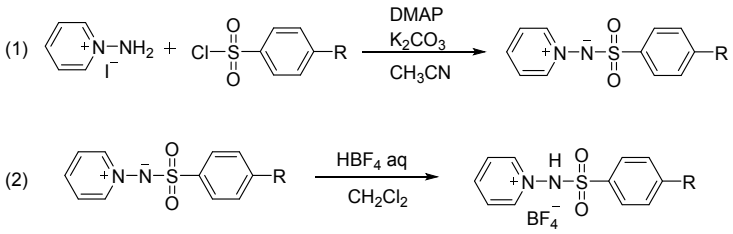
1. General information.

All glassware was thoroughly oven-dried. Chemicals and solvents were either purchased from commercial suppliers or purified by standard techniques. Thin-layer chromatography plates were visualized by exposure to ultraviolet light and/or staining with phosphomolybdic acid followed by heating on a hot plate. Flash chromatography was carried out using silica gel (200−300 mesh). ^{1}H NMR and ^{13}C NMR spectra were recorded on a 400 MHz spectrometer. The spectra were recorded in deuterochloroform ($CDCl_3$) and dimethyl-d6 sulfoxide (DMSO-d6) as solvent at room temperature; ^{1}H and ^{13}C NMR chemical shifts are reported in ppm relative to the residual solvent peak. The residual solvent signals were used as references, and the chemical shifts were converted to the TMS scale ($CDCl_3$: δ_H = 7.26 ppm, δ_C = 77.0 ppm, DMSO-d6: δ_H = 2.50 ppm, δ_C = 39.5 ppm). Data for ^{1} H NMR are reported as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, dd = doublet, br = broad), integration, coupling constant (Hz), and assignment. Data for ^{13}C NMR are reported as chemical shift. IR spectra were recorded on an FT-IR instrument and are reported in wave numbers (cm^{-1}). HRMS spectra using ESI were recorded on an ESI-FTMS mass spectrometer.

2. Starting materials.

Preparation of the *N*-protected 1-aminopyridium salts (2a-2i)

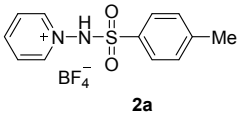
General procedure for the synthesis of *N*-protected 1-aminopyridium salts (**2a-2i**):[1]



(1) To a mixture of 1-aminopyridinium iodide (1 equiv) and distilled-CH_3CN (0.13M) were added DMAP (10 mol%), K_2CO_3 (3.6 equiv) and sulfonyl chloride (1 equiv) at 0 °C under N_2. Then, the cooling bath was removed and the reaction mixture was stirred at rt for 6 h. The suspension was filtered and concentrated *in vacuo*. The residue was suspended in CH_2Cl_2 and filtered to remove inorganic impurities. After the solvent was removed under reduced pressure, the crude product was purified by silica gel flash column chromatography (CH_2Cl_2/MeOH = 10/1) and washed with a small amount of CH_2Cl_2 to afford aminopyridinium ylide.

(2) The ylide product (1 equiv) was diluted with CH_2Cl_2 (0.3M) and tetrafluoroboric acid solution (40wt.% in H_2O) (1.3 equiv) was added to the solution at rt. The mixture was stirred for 30 min, then the product was precipitated. The mixture was filtered, washed with diethyl ether and pentane and dried *in vacuo*. The pure product was obtained as a white solid.

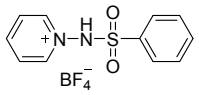
1-(*p*-Toluenesulfonylamino)-pyridinium tetrafluoroborate (2a)



2a

Product was obtained as a white solid. Spectral data were in complete agreement with reported values.[1]

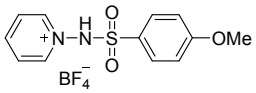
1-(Benzenesulfonylamino)-pyridinium tetrafluoroborate (2b)



2b

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 7.51-7.66 (m, 5H), 7.96 (t, *J* = 7.0 Hz, 2H), 8.44 (td, *J* = 7.8, 1.1 Hz, 1H), 8.53 (d, *J* = 6.2 Hz, 2H), 13.3 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 127.4, 128.5, 129.6, 133.3, 138.0, 144.0, 145.5; HRMS (ESI) for $[C_{11}H_{11}N_2O_2S]^+$ calcd 235.0536, found 235.0535.

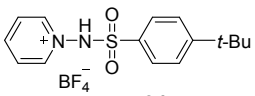
1-(4-Methoxybenzenesulfonylamino)-pyridinium tetrafluoroborate (2c)



2c

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 3.81 (s, 3H), 7.03 (d, *J* = 8.8 Hz, 2H), 7.51 (d, *J* = 8.8 Hz, 2H), 7.94 (t, *J* = 6.9 Hz, 2H), 8.39 (td, *J* = 7.8, 0.9 Hz, 1H), 8.51 (d, *J* = 5.6 Hz, 2H), 10.09 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 55.6, 114.4, 128.1, 129.4, 130.2, 142.9, 145.3, 162.3; HRMS (ESI) for $[C_{12}H_{13}N_2O_3S]^+$ calcd 265.0641, found 265.0643.

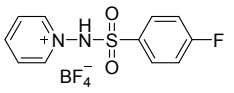
1-(4-tert-Butylbenzenesulfonylamino)-pyridinium tetrafluoroborate (2d)



2d

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 1.26 (s, 9H), 7.53-7.58 (m, 4H), 8.00 (t, *J* = 7.2 Hz, 2H), 8.48 (t, *J* = 7.8 Hz, 1H), 8.57 (d, *J* = 5.6 Hz, 2H), 13.19 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 30.8, 35.0, 126.5, 127.5, 128.6, 134.5, 144.5, 145.4, 156.7; HRMS (ESI) for $[C_{15}H_{19}N_2O_2S]^+$ calcd 291.1162, found 291.1156.

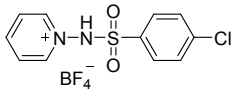
1-(4-Fluorobenzenesulfonylamino)-pyridinium tetrafluoroborate (2e)



2e

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 7.33 (t, *J* = 8.8 Hz, 2H), 7.64 (dd, *J* = 8.7, 5.3 Hz, 2H), 7.95 (t, *J* = 6.8 Hz, 2H), 8.42 (td, *J* = 7.8, 1.1 Hz, 1H), 8.53 (d, *J* = 6.2 Hz, 2H), 13.23 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 116.7 (d, *J* = 22.5 Hz), 128.5, 130.4 (d, *J* = 9.5 Hz), 135.0, 143.8, 145.7, 164.5 (d, *J* = 249.8 Hz); HRMS (ESI) for $[C_{11}H_{10}FN_2O_2S]^+$ calcd 253.0442, found 253.0442.

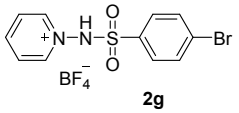
1-(4-Chlorobenzenesulfonylamino)-pyridinium tetrafluoroborate (2f)



2f

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 7.52-7.57 (m, 4H), 7.90-7.93 (m, 2H), 8.35-8.39 (m, 1H), 8.50-8.52 (m, 2H), 12.10 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 128.3, 129.0, 129.5, 137.2, 138.8, 142.8, 145.7; HRMS (ESI) for $[C_{11}H_{10}ClN_2O_2S]^+$ calcd 269.0146, found 269.0145.

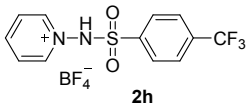
1-(4-Bromobenzenesulfonylamino)-pyridinium tetrafluoroborate (2g)



2g

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 7.48 (d, *J* = 6.8 Hz, 2H), 7.69 (d, *J* = 8.4 Hz, 2H), 7.92-7.93 (m, 2H), 8.38-8.39 (m, 1H), 8.52 (m, 2H), 13.44 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 126.4, 128.4, 129.2, 132.5, 139.0, 143.1, 145.7; HRMS (ESI) for $[C_{11}H_{10}BrN_2O_2S]^+$ calcd 312.9641, found 312.9641.

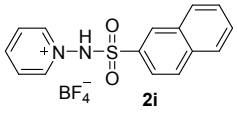
1-(4-Trifluoromethylbenzenesulfonylamino)-pyridinium tetrafluoroborate (2h)



2h

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 7.76 (d, *J* = 8.1 Hz, 2H), 7.82 (d, *J* = 8.4 Hz, 2H), 7.89 (t, *J* = 6.6Hz, 2H), 8.33 (td, *J* = 7.7, 1.0 Hz, 1H), 8.52 (d, *J* = 6.4 Hz, 2H), 14.00 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 123.9 (q, *J* = 271 Hz), 126.5 (q, *J* = 3.6 Hz), 128.0, 128.3, 131.9 (q, *J* = 32.0 Hz), 142.5, 145.1, 145.9; HRMS (ESI) for $[C_{12}H_{10}F_3N_2O_2S]^+$ calcd 303.0410, found 303.0406.

1-(2-Naphthalenesulfonylamino)-pyridinium tetrafluoroborate (2i)

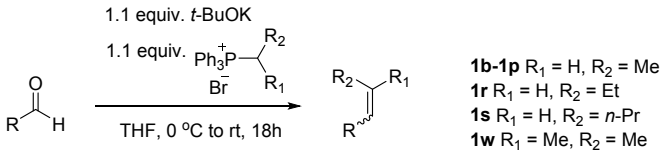


2i

The product was obtained as a white solid. ^{1}H NMR (400MHz, DMSO-d6) δ (ppm) = 7.59-7.72 (m, 3H), 7.96 (t, *J* = 7.1 Hz, 2H), 8.04 (dd, *J* = 13.9, 8.1 Hz, 2H), 8.11 (d, *J* = 8.7 Hz, 1H), 8.24 (s, 1H), 8.46 (t, *J* = 7.8 Hz, 1H), 8.59 (d, *J* = 5.9 Hz, 2H), 13.55 (brs, 1H); ^{13}C NMR (100MHz, DMSO-d6) δ (ppm) = 122.9, 127.9, 128.1, 128.7, 128.9, 129.4, 129.5, 130.0, 131.9, 134.7, 134.8, 144.6, 145.7; HRMS (ESI) for $[C_{15}H_{13}N_2O_2S]^+$ calcd 285.0692, found 285.0693.

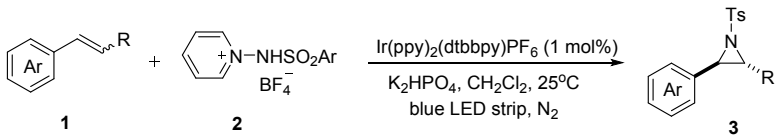
Preparation of alkene substrates

Substrates **1b-1p**, **1r**, **1s, 1w** were prepared according to Wittig olefination procedure.[2,3]



Potassium *tert*-butoxide (0.618g, 5.5 mmol) was added to a suspension of the corresponding phosphonium salt (5.5 mmol) in THF (6.5 mL) at 0 °C. After this, the resulting reaction mixture was stirred for 30 min at room temperature. Then, the appropriate aldehyde (5 mmol) was added and stirred for 18 h. The mixture was quenched with saturated aqueous NH_4Cl and extracted with diethyl ether. The combined organic extracts were dried over anhydrous Na_2SO_4 and concentrated *in vacuo*. The crude residues were purified by silica gel flash column chromatography (10% Et_2O/pentane) affording the corresponding alkenes **1**. (Substrates **1b-1p**, **1r**, **1s** were obtained as a mixture of E- and Z-isomers).

3. General procedure for the synthesis of aziridine derivatives

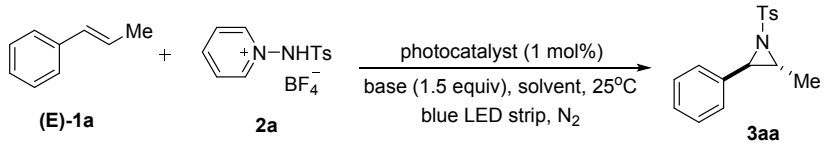


Substrate **1** (0.1 mmol), *N*-protected 1-aminopyridinium **2** (0.15 mmol) and K_2HPO_4 (0.15 mmol) were added to a solution of photocatalyst $Ir(ppy)_2(dtbbpy)PF_6$ (1 mol %) in dichloromethane (2 mL) at room temperature. The heterogeneous mixture was degassed by three cycles of freeze−pump−thaw and then placed in the irradiation apparatus equipped with a 25 W blue light-

emitting diode (LED) strip. The resulting mixture was stirred at 25 °C until the starting material was completely consumed after 3-12 h. Upon completion of the reaction, the reaction mixture was evaporated under reduced pressure, and the resulting crude mixture was purified on silica gel flash column chromatography using ethyl acetate/hexanes (1/10) eluent to give the corresponding aziridine derivatives.

4. Initial studies and reaction optimization

Table 1. Optimization of the reaction conditions



(E)-1a **2a** **3aa**

entry	photocatalyst	**1a**:**2a**	base	solvent	time (h)	yield (%)[a]	dr ratio
1	*fac*-Ir(ppy)$_3$	1:1.5	NaOAc	CH_2Cl_2	12	56	dr > 20:1
2	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	NaOAc	CH_2Cl_2	3	72	dr > 20:1
3	Ru(bpy)$_3$(PF_6)$_2$	1:1.5	NaOAc	CH_2Cl_2	24	trace	-
4	Methylene blue	1:1.5	NaOAc	CH_2Cl_2	24	NR	-
5	Eosin Y	1:1.5	NaOAc	CH_2Cl_2	24	NR	-
6	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	$NaHCO_3$	CH_2Cl_2	3	76	dr > 20:1
7	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	Na_2CO_3	CH_2Cl_2	3	75	dr > 20:1
8	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	CH_2Cl_2	3	78	dr > 20:1
9	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_3PO_4	CH_2Cl_2	3	53	dr > 20:1
10	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	Na_2HPO_4	CH_2Cl_2	3	77	dr > 20:1
11	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	CsF	CH_2Cl_2	3	61	dr > 20:1
12	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	DABCO	CH_2Cl_2	12	44	dr > 20:1
13	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	2,6-lutidine	CH_2Cl_2	12	50	dr > 20:1
14	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	iPr_2EtN	CH_2Cl_2	24	trace	-
15	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	DCE	3	52	dr > 20:1
16	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	$CHCl_3$	12	61	dr > 20:1
17	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	THF	24	NR	-
18	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	toluene	48	58	dr > 20:1
19	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	DMF	24	NR	--
20	Ir(ppy)$_2$(dtbbpy)PF_6	1:2	K_2HPO_4	CH_2Cl_2	3	71	dr > 20:1
21	Ir(ppy)$_2$(dtbbpy)PF_6	1:1	K_2HPO_4	CH_2Cl_2	3	57	dr > 20:1
22[b]	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	CH_2Cl_2	3	78	dr > 20:1
23	-	1:1.5	K_2HPO_4	CH_2Cl_2	3	NR	-
24[c]	Ir(ppy)$_2$(dtbbpy)PF_6	1:1.5	K_2HPO_4	CH_2Cl_2	3	NR	-

[a]Isolated yield. [b]E/Z-**1a** mixtures (1.6:1) was used. [c]Reaction carried out in the dark.

5. Stern-Volmer fluorescence quenching studies

Stern-Volmer fluorescence quenching experiments were run with freshly prepared solutions of 1×10^{-3}M $Ir(ppy)_2(dtbbpy)PF_6$ and varying concentrations of quencher in acetonitrile at room temperature. The solutions were irradiated at 454 nm and fluorescence was measured from 450 nm to 750 nm. Control experiments showed that excited state of $Ir(ppy)_2(dtbbpy)PF_6$ was only quenched by *N*-Ts aminopyridium salts.

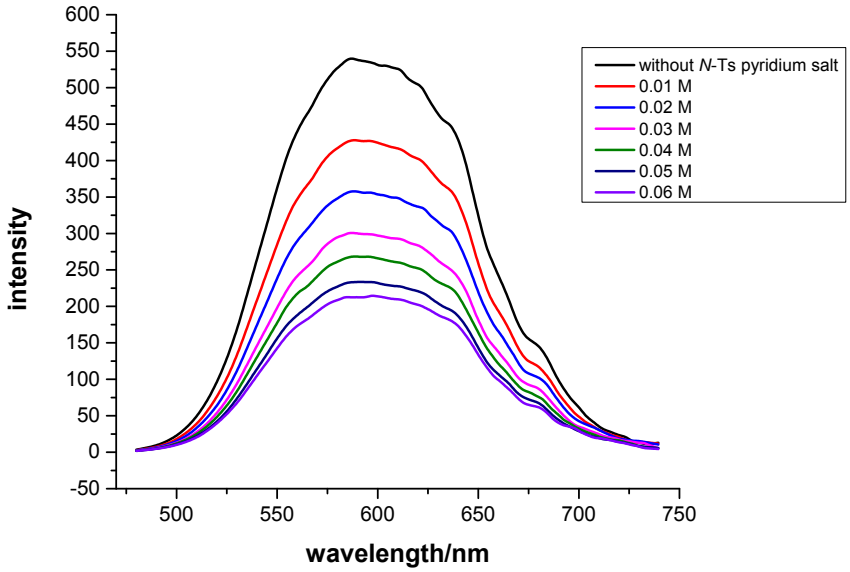


Figure S1. Fluorescence quenching date with $Ir(ppy)_2(dtbbpy)PF_6$ and variable *N*-Ts aminopyridium salts.

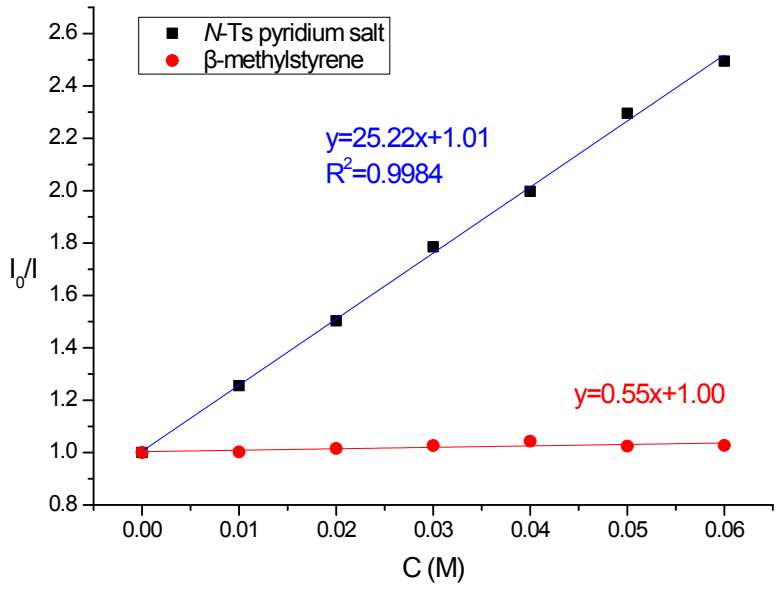
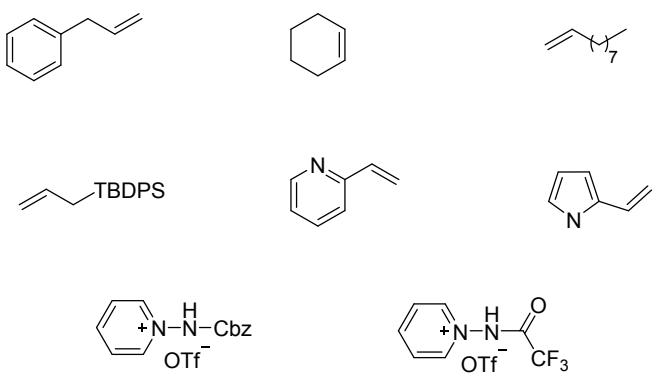


Figure S2. Plots were constructed according to the Stern-Volmer equation $I_0/I = 1+k_q\tau_0[C]$.

6. Devices for the photocatalytic reactions

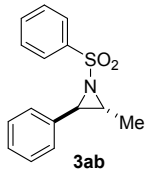
Figure S3. Devices for the photocatalytic reactions.

7. Unsuccessful substrates



8. Characterization of products

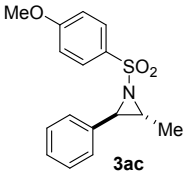
(2*R, 3*R**)-2-Methyl-3-phenyl-1-(phenylsulfonyl)aziridine (3ab)**[4]



3ab

Colorless dense oil; 21.8 mg, 80% yield, reaction time 6 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.85 (d, *J* = 6.0 Hz, 3H), 2.91-2.96 (m, 1H), 3.82 (d, *J* = 4.4 Hz, 1H), 7.12-7.15 (m, 2H), 7.23-7.25 (m, 3H), 7.44-7.48 (m, 2H), 7.52-7.56 (m, 1H), 7.93-7.95 (m, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.2, 49.2, 49.3, 126.2, 127.1, 128.1, 128.5, 128.9, 133.0, 135.3, 140.7; IR (KBr, cm^{-1}): 3064, 2927, 2854, 1585, 1449, 1414, 1316, 1239, 1159, 1090, 1036, 972, 887, 799, 692, 545. HRMS (ESI) for $C_{15}H_{16}NO_2S$ $[M+H]^+$ calcd 274.0896, found 274.0897.

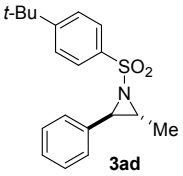
(2*R, 3*R**)-1-((4-Methoxyphenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ac)**



3ac

Colorless dense oil; 22.2 mg, 73% yield, reaction time 6 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.87-2.92 (m, 1H), 3.77 (d, *J* = 4.3 Hz, 1H), 3.82 (s, 3H), 6.92 (d, *J* = 9.0 Hz, 2H), 7.13-7.15 (m, 2H), 7.22-7.27 (m, 3H), 7.87 (d, *J* = 9 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 48.9, 49.0, 55.5, 114.0, 126.2, 128.0, 128.4, 129.3, 132.5, 135.6, 163.1; IR (KBr, cm^{-1}): 2928, 2844, 1595, 1498, 1458, 1413, 1320, 1259, 1154, 1091, 1027, 971, 889, 691, 593. HRMS (ESI) for $C_{16}H_{18}NO_3S$ $[M+H]^+$ calcd 304.1002, found 304.1003.

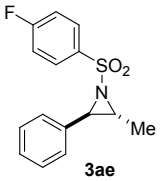
(2*R, 3*R**)-1-((4-(tert-Butyl)phenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ad)**



3ad

Colorless dense oil; 25.1 mg, 76% yield, reaction time 6 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.31 (s, 9H), 1.84 (d, *J* = 6.0 Hz, 3H), 2.90-2.95 (m, 1H), 3.81 (d, *J* = 4.3 Hz, 1H), 7.15-7.17 (m, 2H), 7.24-7.27 (m, 3H), 7.47 (d, *J* = 8.5 Hz, 2H), 7.86 (d, *J* = 8.5 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.1, 31.0, 35.1, 49.1 (2C), 125.9, 126.3, 127.0, 128.0, 128.5, 135.6, 137.8, 156.8; IR (KBr, cm^{-1}): 2959, 2925, 1595, 1459, 1322, 1162, 1109, 1086, 971, 890, 755, 697, 657, 575. HRMS (ESI) for $C_{19}H_{24}NO_2S$ $[M+H]^+$ calcd 330.1522 , found 330.1520.

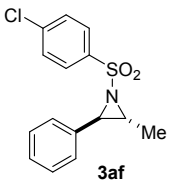
(2*R, 3*R**)-1-((4-Fluorophenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ae)**[4]



3ae

Colorless dense oil; 17.4 mg, 59% yield, reaction time 6 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.85 (d, *J* = 6.0 Hz, 3H), 2.92-2.98 (m, 1H), 3.80 (d, *J* = 4.3 Hz, 1H), 7.11-7.16 (m, 4H), 7.25-7.27 (m, 3H), 7.94-7.97 (m, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.2, 49.4 (2C), 116.2 (d, *J* = 22.5 Hz), 126.2, 128.2, 128.5, 129.9 (d, *J* = 9.5 Hz), 135.2, 136.9 (d, *J* = 3.3 Hz), 165.3 (d, *J* = 253.7 Hz); IR (KBr, cm^{-1}): 3067, 2925, 2853, 1591, 1494, 1457, 1324, 1234, 1153, 1089, 1036, 890, 837, 693, 588, 536. HRMS (ESI) for $C_{15}H_{15}FNO_2S$ $[M+H]^+$ calcd 292.0802, found 292.0801.

(2*R, 3*R**)-1-((4-Chlorophenyl)sulfonyl)-2-methyl-3-phenylaziridine (3af)**

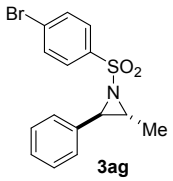


3af

Colorless dense oil; 16.1 mg, 52% yield, reaction time 6 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.85 (d, *J* = 6.0 Hz, 3H), 2.93-2.99 (m, 1H), 3.81 (d, *J* = 4.4 Hz, 1H), 7.12-7.15 (m, 2H), 7.24-7.28 (m, 3H), 7.43 (d, *J* = 8.7 Hz, 2H), 7.87 (d, *J* = 8.7 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.3, 49.5, 49.6, 126.2, 128.3, 128.6, 129.2, 135.1, 139.3, 139.6; IR (KBr, cm^{-1}): 2926, 2854, 1582, 1457,

1394, 1323, 1161, 1088, 1036, 970, 889, 759, 698, 653, 556. HRMS (ESI) for $C_{15}H_{15}ClNO_2S$ $[M+H]^+$ calcd 308.0507, found 308.0508.

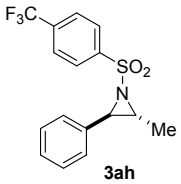
(2*R, 3*R**)-1-((4-Bromophenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ag)**[4]



3ag

Colorless dense oil; 21.5 mg, 61% yield, reaction time 6 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.85 (d, *J* = 6.0 Hz, 3H), 2.93-2.99 (m, 1H), 3.81 (d, *J* = 4.4 Hz, 1H), 7.12-7.15 (m, 2H), 7.26-7.28 (m, 3H), 7.60 (d, *J* = 8.6 Hz, 2H), 7.80 (d, *J* = 8.6 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.3, 49.5, 49.6, 126.2, 128.1, 128.3, 128.6, 128.7, 132.2, 135.1, 139.8; IR (KBr, cm^{-1}): 2925, 2854, 1574, 1459, 1388, 1324, 1160, 1088, 1036, 970, 890, 747, 699, 643, 550. HRMS (ESI) for $C_{15}H_{15}BrNO_2S$ $[M+H]^+$ calcd 352.0001, found 352.0014.

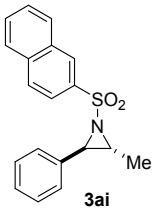
(2*R, 3*R**)-2-Methyl-3-phenyl-1-((4-(trifluoromethyl)phenyl)sulfonyl)aziridine (3ah)**



3ah

Colorless dense oil; 22.1 mg, 65% yield, reaction time 10 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.87 (d, *J* = 6.0 Hz, 3H), 2.98-3.04 (m, 1H), 3.86 (d, *J* = 4.4 Hz, 1H), 7.13-7.15 (m, 2H), 7.25-7.29 (m, 3H), 7.73 (d, *J* = 8.3 Hz, 2H), 8.06 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.5, 49.8, 49.9, 123.1 (q, *J* = 271.4 Hz), 126.1 (q, *J* = 3.7 Hz), 126.2, 127.6, 128.4, 128.6, 134.6 (q, *J* = 32.9 Hz), 134.9, 144.2; IR (KBr, cm^{-1}): 2927, 1606, 1499, 1457, 1404, 1323, 1165, 1062, 970, 891, 800, 719, 641, 549. HRMS (ESI) for $C_{16}H_{15}F_3NO_2S$ $[M+H]^+$ calcd 342.0770, found 342.0777.

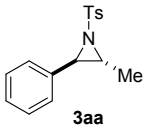
(2*R, 3*R**)-2-Methyl-1-(naphthalen-2-ylsulfonyl)-3-phenylaziridine (3ai)**



3ai

Colorless dense oil; 18.0 mg, 55% yield, reaction time 10 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.89 (d, *J* = 6.0 Hz, 3H), 2.94-3.00 (m, 1H), 3.88 (d, *J* = 4.3 Hz, 1H), 7.13-7.22 (m, 5H), 7.54-7.62 (m, 2H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.89-7.96 (m, 3H), 8.48 (s, 1H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.3, 49.4 (2C), 122.6, 126.3, 127.4, 127.8, 128.1, 128.3, 128.5, 128.9, 129.3, 129.4, 131.9, 135.0, 135.4, 137.7; IR (KBr, cm^{-1}): 3059, 2924, 1591, 1456, 1318, 1157, 1074, 969, 890, 748, 679, 578, 539. HRMS (ESI) for $C_{19}H_{17}KNO_2S$ $[M+K]^+$ calcd 362.0612, found 362.0610.

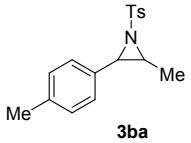
(2*R, 3*R**)-2-Methyl-3-phenyl-1-tosylaziridine (3aa)**[4]



3aa

Colorless dense oil; 22.4 mg, 78% yield, reaction time 3 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.84 (d, *J* = 6.0 Hz, 3H), 2.38 (s, 3H), 2.88-2.93 (m, 1H), 3.79 (d, *J* = 4.3 Hz, 1H), 7.13-7.15 (m, 2H), 7.24-7.26 (m, 5H), 7.82 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.1, 21.5, 49.1 (2C), 126.2, 127.1, 128.0, 128.4, 129.5, 135.5, 137.8, 143.8; IR (KBr, cm^{-1}): 3032, 2924, 1597, 1455, 1320, 1158, 1089, 971, 890, 815, 748, 685, 589, 536. HRMS (ESI) for $C_{16}H_{18}NO_2S$ $[M+H]^+$ calcd 288.1053, found 288.1055.

2-Methyl-3-(p-tolyl)-1-tosylaziridine (3ba)

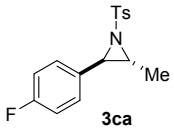


3ba

Colorless dense oil; 10.5 mg, 35% yield, reaction time 3 h; ^{1}H NMR (400MHz, $CDCl_3$) **(2*R**,3*S**)-3ba** (major isomer) δ (ppm) = 1.02 (d, *J* = 5.8 Hz, 3H), 2.30 (s, 3H), 2.43 (s, 3H), 3.14-3.20 (m, 1H), 3.89 (d, *J* = 7.2 Hz, 1H), 7.08 (s, 4H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.88 (d, *J* = 8.3 Hz, 2H); **(2*R**, 3*R**)-3ba** (minor

isomer) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.29 (s, 3H), 2.38 (s, 3H), 2.87-2.93 (m, 1H), 3.76 (d, *J* = 4.4 Hz, 1H), 7.02-7.05 (m, 4H), 7.25 (d, *J* = 6.9 Hz, 2H), 7.81 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) **(2*R**, 3*S**)-3ba** and **(2*R**, 3*R**)-3ba** δ (ppm) = 11.9, 14.1, 21.1, 21.5, 21.6, 41.5, 46.0, 49.0, 49.2, 126.2, 127.1, 127.4, 127.8, 128.9, 129.1, 129.5, 129.6, 129.7, 132.5, 135.3, 137.5, 137.8, 138.0, 143.8, 144.3; IR (KBr, cm^{-1}): 2922, 2854, 1597, 1515, 1452, 1322, 1159, 1090, 1042, 981, 886, 815, 747, 672, 575. HRMS (ESI) for $C_{17}H_{20}NO_2S$ $[M+H]^+$ calcd 302.1209, found 302.1208.

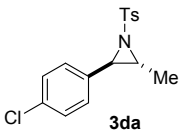
(2*R, 3*R**)-2-(4-Fluorophenyl)-3-methyl-1-tosylaziridine (3ca)**



3ca

Colorless dense oil; 16.6 mg, 54% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.40 (s, 3H), 2.86-2.91 (m, 1H), 3.76 (d, *J* = 4.2 Hz, 1H), 6.94 (t, *J* = 8.6 Hz, 2H), 7.11 (dd, *J* = 8.6, 5.4 Hz, 2H), 7.27 (d, *J* = 7.8 Hz, 2H), 7.81 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 48.4, 49.1, 115.5 (d, *J* = 21.7 Hz), 127.2, 128.0 (d, *J* = 8.1 Hz), 129.5, 131.3 (d, *J* = 3.2 Hz), 137.8, 144.0, 162.5 (d, *J* = 245.2 Hz); IR (KBr, cm^{-1}): 3066, 2962, 2929, 1601, 1512, 1451, 1401, 1321, 1228, 1158, 1091, 1039, 973, 892, 685, 536. HRMS (ESI) for $C_{16}H_{17}FNO_2S$ $[M+H]^+$ calcd 306.0959, found 306.0960.

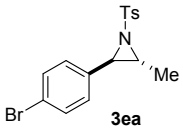
(2*R, 3*R**)-2-(4-Chlorophenyl)-3-methyl-1-tosylaziridine (3da)**



3da

Colorless dense oil; 25.8 mg, 80% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.39 (s, 3H), 2.84-2.90 (m, 1H), 3.75 (d, *J* = 4.2 Hz, 1H), 7.07 (d, *J* = 8.4 Hz, 2H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.26 (d, *J* = 8.0 Hz, 2H), 7.81 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.5, 48.3, 49.2, 127.1, 127.6, 128.7, 129.6, 133.9, 134.1, 137.7, 144.0; IR (KBr, cm^{-1}): 2928, 1597, 1493, 1449, 1321, 1236, 1158, 1089, 1038, 973, 889, 815, 685, 590, 537. HRMS (ESI) for $C_{16}H_{17}ClNO_2S$ $[M+H]^+$ calcd 322.0663, found 322.0662.

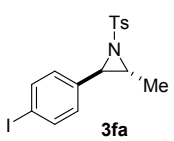
(2*R, 3*R**)-2-(4-Bromophenyl)-3-methyl-1-tosylaziridine (3ea)**



3ea

Colorless dense oil; 30.0 mg, 82% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.40 (s, 3H), 2.84-2.89 (m, 1H), 3.73 (d, *J* = 4.2 Hz, 1H), 7.01 (d, *J* = 8.4 Hz, 2H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.37 (d, *J* = 8.4 Hz, 2H), 7.81 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 48.3, 49.2, 122.0, 127.1, 127.9, 129.6, 131.6, 134.6, 137.7, 144.1; IR (KBr, cm^{-1}): 2923, 2852, 1596, 1489, 1452, 1379, 1321, 1159, 1089, 889, 816, 685, 589, 536. HRMS (ESI) for $C_{16}H_{17}BrNO_2S$ $[M+H]^+$ calcd 366.0158, found 366.0165.

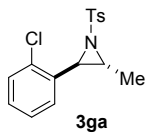
(2*R, 3*R**)-2-(4-Iodophenyl)-3-methyl-1-tosylaziridine (3fa)**



3fa

Colorless dense oil; 31.1 mg, 75% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.39 (s, 3H), 2.83-2.89 (m, 1H), 3.72 (d, *J* = 4.2 Hz, 1H), 6.88 (d, *J* = 8.4 Hz, 2H), 7.26 (d, *J* = 8.3 Hz, 2H), 7.56 (d, *J* = 8.3 Hz, 2H), 7.80 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.5, 48.4, 49.2, 93.5, 127.1, 128.1, 129.5, 135.3, 137.5, 137.6, 144.0; IR (KBr, cm^{-1}): 2925, 1596, 1486, 1321, 1159, 1090, 1038, 973, 891, 817, 685, 589, 536. HRMS (ESI) for $C_{16}H_{17}INO_2S$ $[M+H]^+$ calcd 414.0019, found 414.0020.

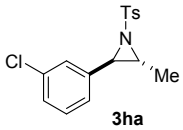
(2*R, 3*R**)-2-(2-Chlorophenyl)-3-methyl-1-tosylaziridine (3ga)**



3ga

White solid; 26.1 mg, 81% yield, reaction time 5 h; mp 91-92 °C; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.89 (d, *J* = 6.0 Hz, 3H), 2.43 (s, 3H), 2.76-2.81 (m, 1H), 4.05 (d, *J* = 4.3 Hz, 1H), 6.88 (dd, *J* = 7.6, 1.0 Hz, 1H), 7.07 (td, *J* = 7.4, 0.6 Hz, 1H), 7.16 (td, *J* = 7.7, 1.4 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 3H); 7.88 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 47.1, 48.8, 126.9, 127.1, 127.4, 129.0 (2C), 129.6, 133.5, 133.7, 137.6, 144.1; IR (KBr, cm^{-1}): 2922, 2852, 2387, 1595, 1445, 1323, 1159, 1089, 1054, 891, 753, 684, 589, 538. HRMS (ESI) for $C_{16}H_{17}ClNO_2S$ $[M+H]^+$ calcd 322.0663, found 322.0664.

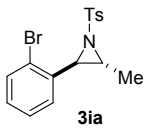
(2*R, 3*R**)-2-(3-Chlorophenyl)-3-methyl-1-tosylaziridine (3ha)**



3ha

Colorless dense oil; 25.1 mg, 78% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.40 (s, 3H), 2.84-2.90 (m, 1H), 3.75 (d, *J* = 4.2 Hz, 1H), 7.02-7.05 (m, 1H), 7.10 (s, 1H), 7.16-7.21 (m, 2H), 7.28 (d, *J* = 8.0 Hz, 2H), 7.82 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 48.1, 49.2, 124.5, 126.3, 127.2, 128.2, 129.6, 129.8, 134.4, 137.6, 137.7, 144.1; IR (KBr, cm^{-1}): 2923, 2853, 1597, 1453, 1322, 1160, 1089, 906, 859, 687, 591, 555. HRMS (ESI) for $C_{16}H_{17}ClNO_2S$ $[M+H]^+$ calcd 322.0663, found 322.0664.

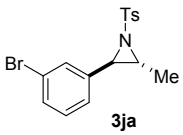
(2*R, 3*R**)-2-(2-Bromophenyl)-3-methyl-1-tosylaziridine (3ia)**



3ia

Colorless dense oil; 30.5 mg, 83% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.91 (d, *J* = 6.0 Hz, 3H), 2.44 (s, 3H), 2.73-2.78 (m, 1H), 3.99 (d, *J* = 4.3 Hz, 1H), 6.83-6.86 (m, 1H), 7.06-7.13 (m, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 7.48-7.50 (m, 1H), 7.89 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 48.9, 49.4, 123.1, 127.4 (2C), 129.3, 129.6, 132.2, 135.5, 137.6, 144.2; IR (KBr, cm^{-1}): 3063, 2925, 2854, 1596, 1442, 1413, 1323, 1159, 1089, 1037, 981, 895, 814, 753, 684, 589, 538. HRMS (ESI) for $C_{16}H_{17}BrNO_2S$ $[M+H]^+$ calcd 366.0158, found 366.0161.

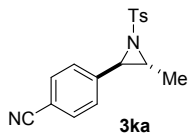
(2*R, 3*R**)-2-(3-Bromophenyl)-3-methyl-1-tosylaziridine (3ja)**



3ja

Colorless dense oil; 28.7 mg, 78% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.40 (s, 3H), 2.84-2.90 (m, 1H), 3.74 (d, *J* = 4.2 Hz, 1H), 7.06-7.14 (m, 2H), 7.25-7.29 (m, 3H), 7.34-7.37 (dt, *J* = 7.6, 1.6 Hz, 1H), 7.82 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 13.9, 21.5, 47.9, 49.2, 122.5, 124.9, 127.2, 129.3, 129.6, 130.0, 131.1, 137.5, 137.9,144.1; IR (KBr, cm^{-1}): 2925, 2855, 1596, 1569, 1448, 1321, 1159, 1089, 976, 896, 812, 686, 591, 552. HRMS (ESI) for $C_{16}H_{17}BrNO_2S$ $[M+H]^+$ calcd 366.0158, found 366.0160.

4-((2*R, 3*R**)-3-Methyl-1-tosylaziridin-2-yl)benzonitrile (3ka)**

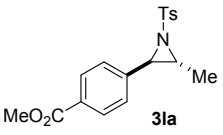


3ka

Colorless dense oil; 17.9 mg, 57% yield, reaction time 12 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.85 (d, *J* = 6.0 Hz, 3H), 2.41 (s, 3H), 2.84-2.90 (m, 1H), 3.81 (d, *J* = 4.1 Hz, 1H), 7.25-7.29 (m, 4H), 7.54 (d, *J* = 8.3 Hz, 2H), 7.82 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 13.9, 21.6, 47.8, 49.7, 111.8, 118.4, 126.9, 127.2, 129.6, 132.3, 137.4, 141.0, 144.3; IR (KBr, cm^{-1}): 2923, 2853, 2227, 1597,

1453, 1322, 1160, 1090, 1036, 975, 852, 685, 591, 554. HRMS (ESI) for $C_{17}H_{17}N_2O_2S$ $[M+H]^+$ calcd 313.1005, found 313.1006.

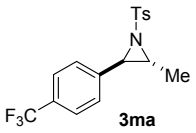
Methyl 4-((2*R, 3*R**)-3-methyl-1-tosylaziridin-2-yl)benzoate (3la)**



3la

Colorless dense oil; 26.9 mg, 77% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.86 (d, *J* = 6.0 Hz, 3H), 2.39 (s, 3H), 2.88-2.94 (m, 1H), 3.82 (d, *J* = 4.2 Hz, 1H), 3.88 (s, 3H), 7.21 (d, *J* = 8.3 Hz, 2H), 7.26 (d, *J* = 7.9 Hz, 2H), 7.82 (d, *J* = 8.3 Hz, 2H), 7.92 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.5, 48.4, 49.5, 52.1, 126.2, 127.2, 129.5, 129.7, 129.8, 137.6, 140.7, 144.1, 166.6; IR (KBr, cm^{-1}): 2923, 2852, 1720, 1610, 1434, 1321, 1279, 1159, 1090, 969, 890, 760, 685, 589, 537. HRMS (ESI) for $C_{18}H_{20}NO_4S$ $[M+H]^+$ calcd 346.1108, found 346.1111.

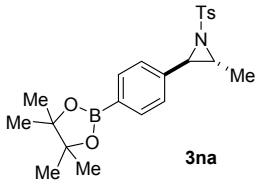
(2*R, 3*R**)-2-Methyl-1-tosyl-3-(4-(trifluoromethyl)phenyl)aziridine (3ma)**



3ma

Colorless dense oil; 24.4 mg, 68% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.86 (d, *J* = 6.0 Hz, 3H), 2.40 (s, 3H), 2.86-2.91 (m, 1H), 3.83 (d, *J* = 4.2 Hz, 1H), 7.27 (t, *J* = 8.4 Hz, 4H), 7.51 (d, *J* = 8.2 Hz, 2H), 7.83 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 48.1, 49.5, 123.9 (q, *J* = 270.8 Hz), 125.5 (q, *J* =3.7 Hz), 126.6, 127.2, 129.6, 130.2 (q, *J* =31.9 Hz), 137.6, 139.7, 144.2; IR (KBr, cm^{-1}): 2927, 2869, 1451, 1324, 1237, 1178, 1126, 1066, 976, 892, 853, 685, 646, 600, 535. HRMS (ESI) for $C_{17}H_{17}F_3NO_2S$ $[M+H]^+$ calcd 356.0927, found 356.0929.

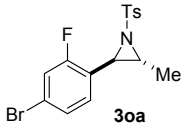
(2*R, 3*R**)-2-Methyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-tosylaziridine (3na)**



3na

Colorless dense oil; 28.6 mg, 69% yield, reaction time 3 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.31 (s, 12H), 1.85 (d, *J* = 6.0 Hz, 3H), 2.37 (s, 3H), 2.88-2.94 (m, 1H), 3.79 (d, *J* = 4.2 Hz, 1H), 7.13 (d, *J* = 8.0 Hz, 2H), 7.24 (d, *J* = 8.1 Hz, 2H), 7.69 (d, *J* = 8.0 Hz, 2H), 7.81 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.1, 21.5, 24.8, 49.1, 49.3, 83.8, 125.5, 127.1, 129.5, 134.8, 137.8, 138.6, 143.9; IR (KBr, cm^{-1}): 2977, 2928, 1613, 1397, 1360, 1323, 1160, 1090, 962, 891, 857, 685, 589, 538. HRMS (ESI) for $C_{22}H_{29}BNO_4S$ $[M+H]^+$ calcd 414.1905, found 414.1898.

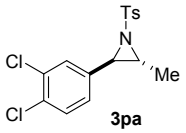
(2*R, 3*R**)-2-(4-Bromo-2-fluorophenyl)-3-methyl-1-tosylaziridine (3oa)**



3oa

White solid; 29.4 mg, 76% yield, reaction time 5 h; mp 124-126 °C; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.84 (d, *J* = 6.0 Hz, 3H), 2.42 (s, 3H), 2.86-2.92 (m, 1H), 3.92 (d, *J* = 4.2 Hz, 1H), 6.80 (t, *J* = 8.0 Hz, 1H), 7.12 (d, *J* = 8.3 Hz, 1H), 7.18 (dd, *J* = 9.4, 1.7 Hz, 1H), 7.29 (d, *J* = 8.3 Hz, 2H), 7.83 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.0, 21.6, 42.9 (d, *J* = 4.9 Hz), 48.2, 118.8 (d, *J* = 23.9 Hz), 121.9 (d, *J* = 9.1 Hz), 122.3 (d, *J* = 13.7 Hz), 127.3, 127.6 (d, *J* = 3.6 Hz), 128.3 (d, *J* = 3.9 Hz), 129.6, 137.4, 144.2, 161.0 (d, *J* = 250.5 Hz); IR (KBr, cm^{-1}): 2925, 2854, 1604, 1488, 1403, 1325, 1160, 1089, 899, 868, 709, 685, 592, 557. HRMS (ESI) for $C_{16}H_{16}BrFNO_2S$ $[M+H]^+$ calcd 384.0064, found 384.0062.

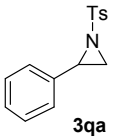
(2*R, 3*R**)-2-(3,4-Dichlorophenyl)-3-methyl-1-tosylaziridine (3pa)**



3pa

Colorless dense oil; 28.6 mg, 80% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.83 (d, *J* = 6.0 Hz, 3H), 2.41 (s, 3H), 2.83-2.88 (m, 1H), 3.71 (d, *J* = 4.2 Hz, 1H), 6.98 (dd, *J* = 8.3, 2 Hz, 1H), 7.20 (d, *J* = 2.0 Hz, 1H), 7.28-7.32 (m, 3H), 7.81 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 13.9, 21.6, 47.4, 49.3, 125.6, 127.2, 128.2, 129.6, 130.5, 132.1, 132.7, 135.9, 137.4, 144.3; IR (KBr, cm^{-1}): 2924, 2854, 1596, 1420, 1376, 1322, 1160, 1089, 1034, 979, 910, 863, 816, 685, 595. HRMS (ESI) for $C_{16}H_{16}Cl_2NO_2S$ $[M+H]^+$ calcd 356.0273, found 356.0274.

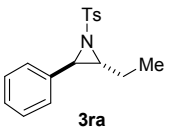
2-Phenyl-1-tosylaziridine (3qa)



3qa

White solid; 12.2 mg, 44% yield, reaction time 3 h; mp 78-80 ^{o}C ; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.38 (d, *J* = 4.4 Hz, 1H), 2.42 (s, 3H), 2.98 (d, *J* = 7.2 Hz, 1H), 3.77 (dd, *J* = 7.2, 4.5 Hz, 1H), 7.20-7.33 (m, 7H), 7.87 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.5, 35.8, 40.9, 126.4, 127.8, 128.2, 128.4, 129.6, 134.9 (2C), 144.5; IR (KBr, cm^{-1}): 3033, 2922, 1597, 1495, 1457, 1324, 1232, 1160, 1093, 979, 910, 816, 773, 665, 567. HRMS (ESI) for $C_{15}H_{16}NO_2S$ $[M+H]^+$ calcd 274.0896, found 274.0900.

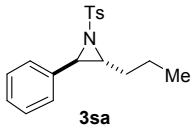
(2*R, 3*R**)-2-Ethyl-3-phenyl-1-tosylaziridine (3ra)**[5]



3ra

Colorless dense oil; 22.6 mg, 75% yield, reaction time 3 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.16 (t, *J* = 7.4 Hz, 3H), 2.05-2.16 (m, 1H), 2.22-2.32 (m, 1H), 2.38 (s, 3H), 2.79-2.84 (m, 1H), 3.79 (d, *J* = 4.4 Hz, 1H), 7.13-7.15 (m, 2H), 7.24-7.26 (m, 5H), 7.81 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 12.3, 21.5, 22.2, 48.6, 54.7, 126.4, 127.2, 128.0, 128.4, 129.5, 135.5, 137.8, 143.8; IR (KBr, cm^{-1}): 2956, 2924, 1598, 1458, 1323, 1159, 1090, 1019, 906, 814, 748, 696, 589, 538. HRMS (ESI) for $C_{17}H_{20}NO_2S$ $[M+H]^+$ calcd 302.1209, found 302.1213.

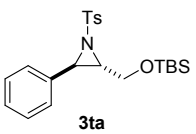
(2*R, 3*R**)-2-Phenyl-3-propyl-1-tosylaziridine (3sa)**[5]



3sa

Colorless dense oil; 22.4 mg, 71% yield, reaction time 3 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.00 (t, *J* = 7.4 Hz, 3H), 1.47-1.70 (m, 2H), 1.98-2.07 (m, 1H), 2.26-2.34 (m, 1H), 2.38 (s, 3H), 2.79-2.84 (m, 1H), 3.79 (d, *J* = 4.4 Hz, 1H), 7.13-7.15 (m, 2H), 7.24-7.26 (m, 5H), 7.81 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 13.8, 21.2, 21.5, 30.5, 48.9, 53.4, 126.3, 127.2, 128.0, 128.5, 129.5, 135.5, 137.8, 143.8; IR (KBr, cm^{-1}): 2960, 2927, 2871, 1598, 1496, 1458, 1323, 1159, 1092, 924, 814, 747, 696, 541. HRMS (ESI) for $C_{18}H_{22}NO_2S$ $[M+H]^+$ calcd 316.1366, found 316.1367.

(2*S, 3*R**)-2-(((tert-Butyldimethylsilyl)oxy)methyl)-3-phenyl-1-tosylaziridine (3ta)**[6]

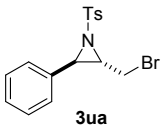


3ta

Colorless dense oil; 19.8 mg, 47% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 0.094 (s, 6H), 0.89 (s, 9H), 2.40 (s, 3H), 3.08-3.11 (m, 1H), 3.89 (d, *J* = 4.3 Hz, 1H), 4.14 (dd, *J* = 11.2, 7.0 Hz, 1H), 4.35 (dd, *J* = 11.2, 5.0 Hz, 1H), 7.17-7.19 (m, 2H), 7.25-7.28 (m, 5H), 7.82 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = -5.3, 18.3, 21.6, 25.8, 47.5, 52.3, 60.7, 126.8, 127.4, 128.2, 128.4, 129.5, 134.7, 137.3, 144.0; IR (KBr, cm^{-1}): 2955, 2927, 2857, 1599, 1461, 1327, 1256, 1161, 1091, 912, 836, 779, 694, 588, 540. HRMS (ESI) for $C_{22}H_{32}NO_3SSi$ $[M+H]^+$ calcd

418.1867, found 418.1869.

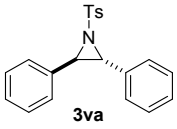
(2*S, 3*R**)-2-(Bromomethyl)-3-phenyl-1-tosylaziridine (3ua)**[7]



3ua

Colorless dense oil; 20.1 mg, 55% yield, reaction time 12 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.42 (s, 3H), 3.20-3.25 (m, 1H), 3.95-4.07 (m, 3H), 7.16-7.18 (m, 2H), 7.27-7.31 (m, 5H), 7.84 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.6, 28.1, 49.5, 50.9, 126.6, 127.5, 128.5, 128.6, 129.7, 134.0, 136.6, 144.6; IR (KBr, cm^{-1}): 2923, 2852, 1596, 1456, 1324, 1159, 1087, 1026, 933, 813, 701, 572. HRMS (ESI) for $C_{16}H_{17}BrNO_2S$ $[M+H]^+$ calcd 366.0158, found 366.0159.

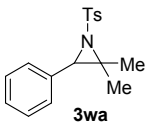
(2*R,3*R**)-2,3-diphenyl-1-tosylaziridine (3va)**[8]



3va

White solid; (E-stilbenes) 8.7 mg, 25% yield, reaction time 4 h; (Z-stilbenes) 8.2 mg, 23% yield, reaction time 5 h; mp 121-123^{o}C ; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.38 (s, 3H), 4.26 (s, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.34-7.36 (m, 6H), 7.41-7.43 (m, 4H), 7.62 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.6, 50.3, 127.5, 128.3, 128.4, 128.7, 129.4, 133.0, 137.0, 143.9; IR (KBr, cm^{-1}): 2923, 2854, 1598, 1497, 1451, 1326, 1162, 909, 761, 697, 535. HRMS (ESI) for $C_{21}H_{20}NO_2S$ $[M+H]^+$ calcd 350.1209, found 350.1199.

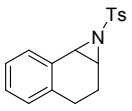
2,2-Dimethyl-3-phenyl-1-tosylaziridine (3wa)[9]



3wa

Colorless dense oil; 14.3 mg, 47% yield, reaction time 5 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.06 (s, 3H), 1.90 (s, 3H), 2.43 (s, 3H), 4.04 (s, 1H), 7.02-7.04 (m, 2H), 7.21-7.23 (m, 3H), 7.30 (d, *J* = 8.0 Hz, 2H), 7.86 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.0, 21.2, 21.6, 53.6, 53.7, 127.0, 127.3, 127.6, 128.2, 129.5, 134.2, 138.2, 143.8; IR (KBr, cm^{-1}): 2924, 2853, 1598, 1455, 1378, 1322, 1244, 1157, 1093, 1044, 940, 870, 767, 673, 588, 535. HRMS (ESI) for $C_{17}H_{20}NO_2S$ $[M+H]^+$ calcd 302.1209, found 302.1208.

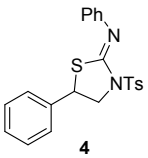
1-Tosyl-1a,2,3,7b-tetrahydro-1H-naphtho[1,2-b]azirine (3xa)[10]



3xa

White solid; 10.2 mg, 34% yield, reaction time 5 h; mp 116-118 ^{o}C; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.62-1.70 (m, 1H), 2.22-2.28 (m, 1H), 2.41 (s, 3H), 2.51-2.56 (m, 1H), 2.71-2.80 (m, 1H), 3.54-3.56 (m, 1H), 3.81 (d, *J* = 7.0 Hz, 1H), 7.04 (d, *J* = 7.3 Hz, 1H), 7.14-7.23 (m, 2H), 7.30 (d, *J* = 8.1 Hz, 3H), 7.81 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 19.9, 21.5, 24.6, 41.7, 42.0, 126.3, 127.6, 128.4, 128.5, 129.4, 129.6, 130.0, 135.6, 136.6, 144.2; IR (KBr, cm^{-1}): 3027, 2925, 2854, 1597, 1396, 1321, 1157, 1090, 989, 907, 876, 753, 670, 600, 571. HRMS (ESI) for $C_{17}H_{18}NO_2S$ $[M+H]^+$ calcd 300.1053, found 300.1056.

(Z)-N,5-diphenyl-3-tosylthiazolidin-2-imine (4)[11]

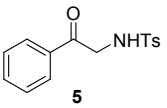


4

Colorless dense oil; 15.7 mg, 38% yield, reaction time 3 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.48 (s, 3H), 4.05 (dd, *J* = 10.3, 8.6 Hz, 1H), 4.60 (dd, *J* = 10.4, 6.4 Hz, 1H), 4.79 (dd, *J* = 8.4, 6.5 Hz, 1H), 6.78 (d, *J* = 7.4 Hz, 2H), 7.06 (t, *J* = 7.4 Hz, 1H), 7.23-7.25 (m, 2H), 7.32-7.36 (m, 7H), 7.98 (d, *J* = 8.3 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.7, 47.0, 56.8, 120.7, 124.3, 127.5, 128.7, 128.9, 129.0, 129.1,

129.2, 134.7, 136.5, 144.9, 150.0, 152.1; IR (KBr, cm^{-1}): 2922, 2853, 1642, 1592, 1455, 1361, 1170, 1099, 809, 763, 696, 660, 566, 543. HRMS (ESI) for $C_{22}H_{21}N_2O_2S_2$ $[M+H]^+$ calcd 409.1039, found 409.1041.

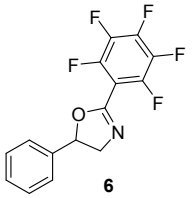
4-Methyl-N-(2-oxo-2-phenylethyl)benzenesulfonamide (5)[12]



5

White solid; 10.4 mg, 36% yield, reaction time 12 h; mp 38-40 °C; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.39 (s, 3H), 4.46 (d, *J* = 4.5 Hz, 2H), 5.65 (t, *J* = 4.0 Hz, 1H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.45-7.49 (m, 2H), 7.59-7.63 (m, 1H), 7.78 (d, *J* = 8.3 Hz, 2H), 7.84-7.86 (m, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.5, 48.6, 127.2, 127.8, 128.9, 129.8, 133.8, 134.4, 136.1, 143.7, 192.5; IR (KBr, cm^{-1}): 3280, 2923, 1690, 1597, 1446, 1339, 1160, 1092, 984, 815, 755, 674, 550. HRMS (ESI) for $C_{15}H_{16}NO_3S$ $[M+H]^+$ calcd 290.0845, found 290.0843.

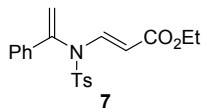
2-(Perfluorophenyl)-5-phenyl-4,5-dihydrooxazole (6)[13]



6

White solid; 19.1 mg, 61% yield, reaction time 8h; mp 58-61 °C; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 4.06 (dd, *J* = 15.2, 8.2 Hz, 1H), 4.54 (dd, *J* = 15.2, 10.4 Hz, 1H), 5.72 (dd, *J* = 10.4, 8.3 Hz, 1H), 7.34-7.43 (m, 5H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 63.2, 81.6, 104.8 (td, *J* = 15.3, 3.7 Hz), 125.6, 128.6, 128.9, 137.8 (dm, *J* = 249.1 Hz), 139.9, 142.7 (dm, *J* = 256.8 Hz), 145.7 (dm, *J* = 256.8 Hz), 154.4 (m); IR (KBr, cm^{-1}): 2924, 1672, 1524, 1501, 1343, 1208, 1083, 985, 805, 742, 698. HRMS (ESI) for $C_{15}H_9F_5NO$ $[M+H]^+$ calcd 314.0599, found 314.0600.

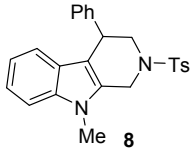
Ethyl (E)-3-((4-methyl-N-(1-phenylvinyl)phenyl)sulfonamido)acrylate (7)[14]



7

Prepared according to the literature procedure.[13] Yellow oil; 22.9 mg, 61% yield, reaction time 16 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 1.23 (t, *J* = 7.1 Hz, 3H), 2.42 (s, 3H), 4.13 (q, *J* = 7.1 Hz, 2H), 4.89 (d, *J* = 0.7 Hz, 1H), 5.06 (d, *J* = 13.8 Hz, 1H), 5.90 (d, *J* = 0.7 Hz, 1H), 7.29-7.31 (m, 5H), 7.39-7.41 (m, 2H), 7.72 (d, *J* = 8.3 Hz, 2H), 8.29 (d, *J* = 13.7 Hz, 1H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 14.3, 21.6, 60.0, 100.5, 117.3, 125.8, 127.8, 128.6, 129.2, 129.9, 134.0, 135.2, 142.0, 142.4, 144.9, 167.0; IR (KBr, cm^{-1}): 3062, 2981, 2929, 1707, 1622, 1368, 1320, 1288, 1153, 1087, 1044, 949, 775, 703, 626, 571. HRMS (ESI) for $C_{20}H_{22}NO_4S$ $[M+H]^+$ calcd 372.1264, found 372.1261.

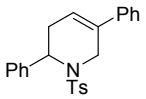
9-Methyl-4-phenyl-2-tosyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole (8)[15]



8

Prepared according to the literature procedure.[14]White solid; 29.1mg, 70% yield, reaction time 2 h; mp 194-196 °C; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.40 (s, 3H), 2.98 (dd, *J* = 11.9 Hz, 8.2 Hz, 1H), 3.65 (s, 3H), 3.94 (dd, *J* = 11.9 Hz, 5.1 Hz, 1H), 4.20 (dd, *J* = 14.5 Hz, 1.6 Hz, 1H), 4.34-4.37 (m, 1H), 4.65 (d, *J* = 14.5 Hz, 1H), 6.75-6.88 (m, 2H), 7.10-7.14 (m, 1H), 7.16-7.19 (m, 2H), 7.24-7.29 (m, 6H), 7.68 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.5, 29.5, 40.3, 42.8, 52.2, 108.7, 109.8, 119.2, 119.6, 121.4, 125.7, 127.0, 127.6, 128.4, 128.5, 129.8, 131.1, 133.7, 137.4, 141.2, 143.7; IR (KBr, cm^{-1}): 3055, 3028, 2923, 1597, 1469, 1344, 1163, 1098, 998, 910, 813, 739, 702, 575, 551. HRMS (ESI) for $C_{25}H_{25}N_2O_2S$ $[M+H]^+$ calcd 417.1631, found 417.1628.

2,5-Diphenyl-1-tosyl-1,2,3,6-tetrahydropyridine (9)



9

Colorless dense oil; 11.8 mg, 30% yield, reaction time 10 h; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm) = 2.39 (s, 3H), 2.45-2.62 (m, 2H), 3.65-3.71 (m, 1H), 4.53 (d, *J* = 17.9 Hz, 1H), 5.34 (d, *J* = 6.5 Hz, 1H), 6.09-6.10 (m, 1H), 7.20-7.33 (m, 10H), 7.37 (d, *J* = 7.2 Hz, 2H), 7.69 (d, *J* = 8.2 Hz, 2H); ^{13}C NMR (100MHz, $CDCl_3$) δ (ppm) = 21.5, 26.8, 42.1, 52.5, 120.8, 124.9, 127.0, 127.4, 127.6, 127.7, 128.4, 128.5, 129.5, 133.7, 137.6, 138.6, 139.0, 143.2; IR (KBr, cm^{-1}): 2922, 2852, 1597, 1498, 1448, 1337, 1158, 1096, 952, 886, 745, 687, 557. HRMS (ESI) for $C_{24}H_{24}NO_2S$ $[M+H]^+$ calcd 390.1522, found 390.1524.

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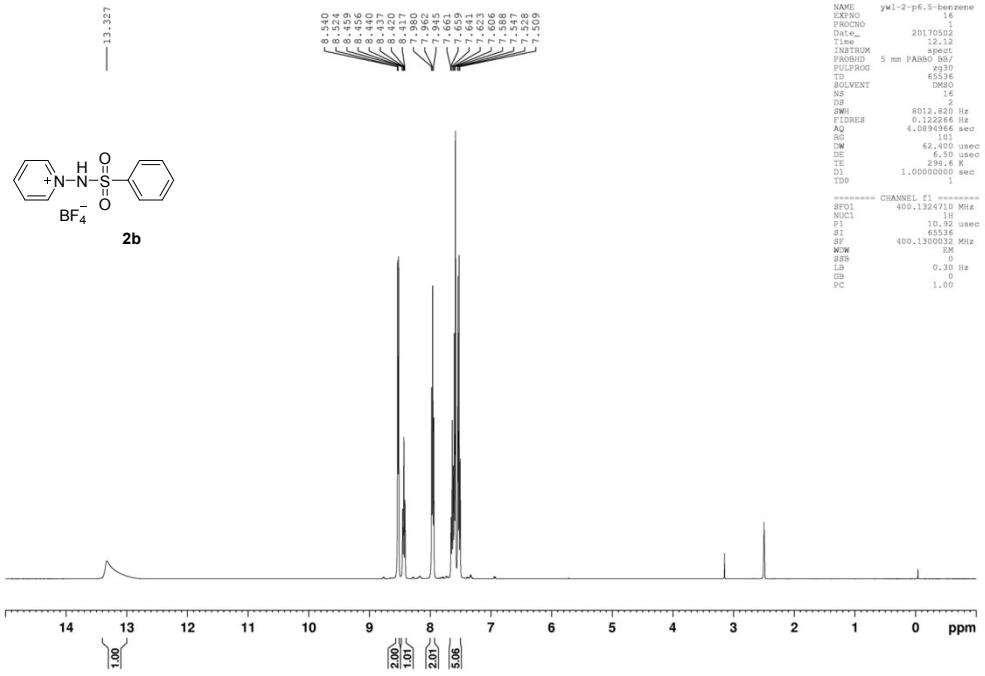
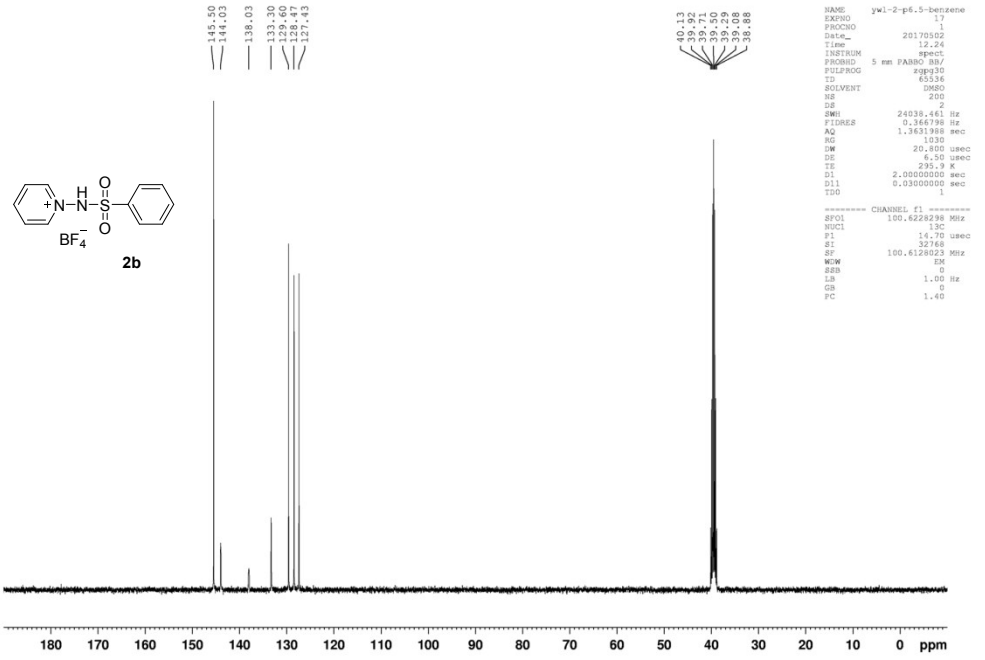
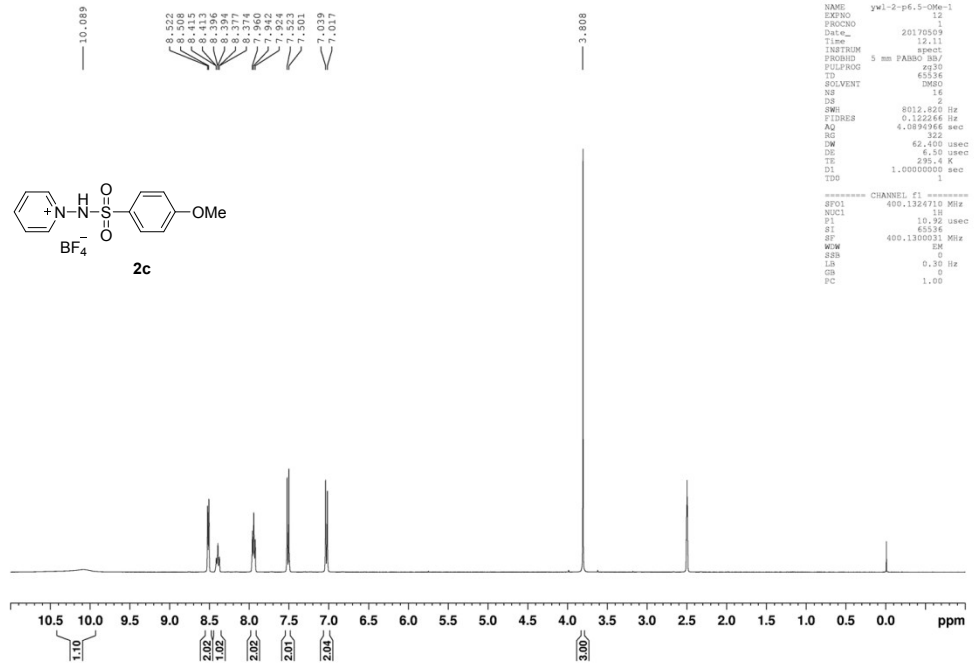
9. NMR spectra of compounds

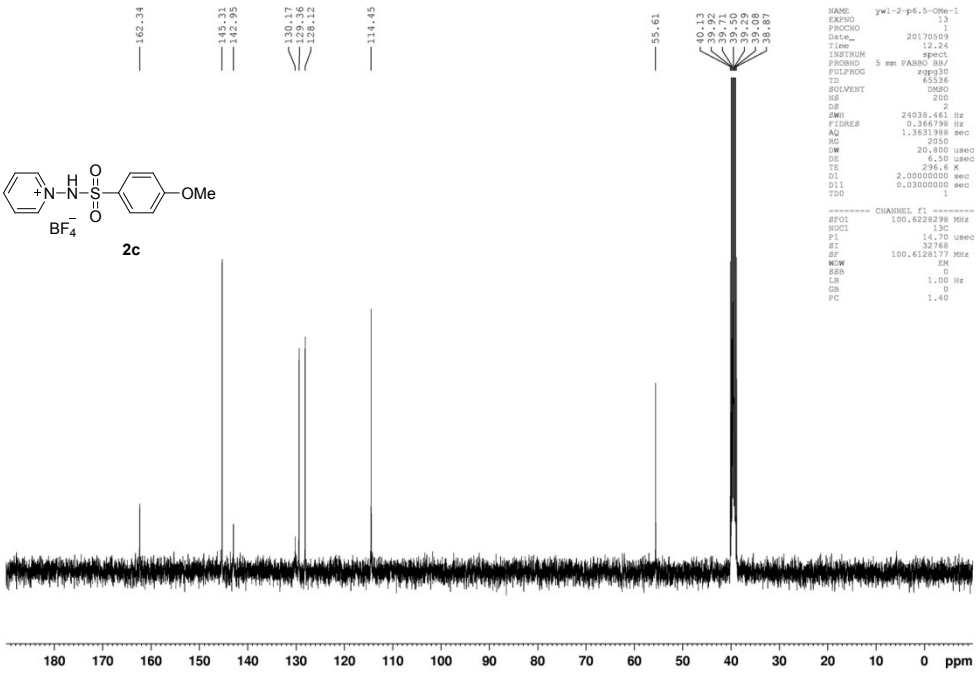
1-(Benzenesulfonylamino)-pyridinium tetrafluoroborate (2b)



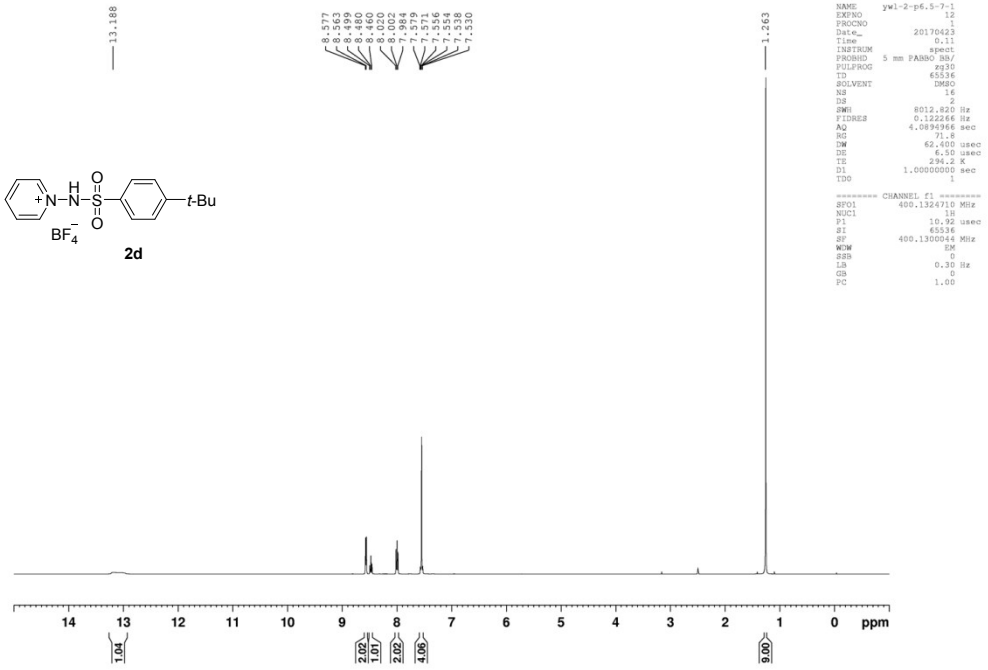


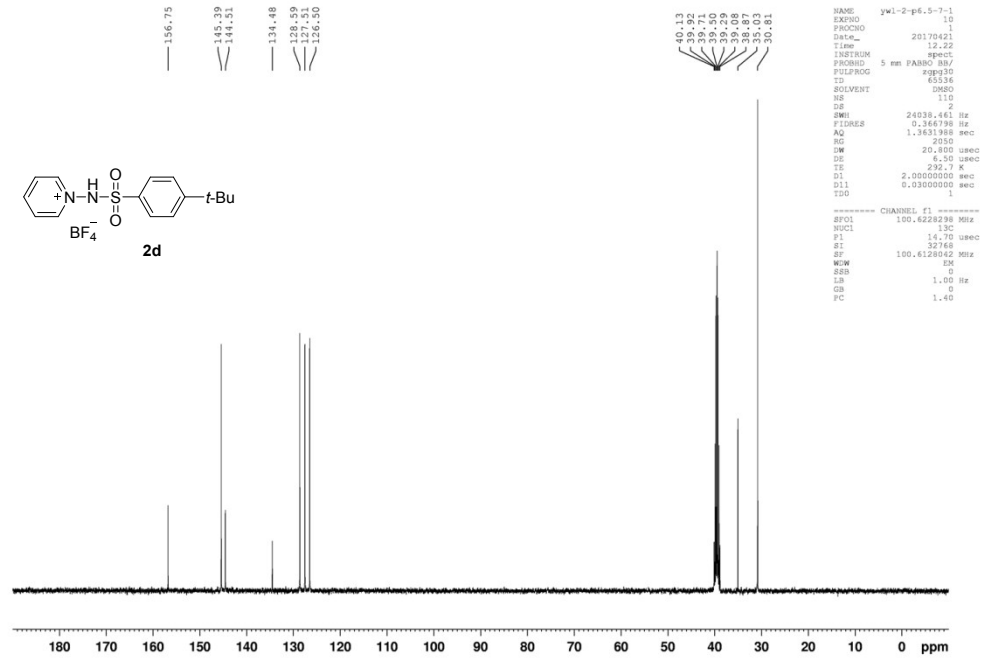
1-(4-Methoxybenzenesulfonylamino)-pyridinium tetrafluoroborate (2c)



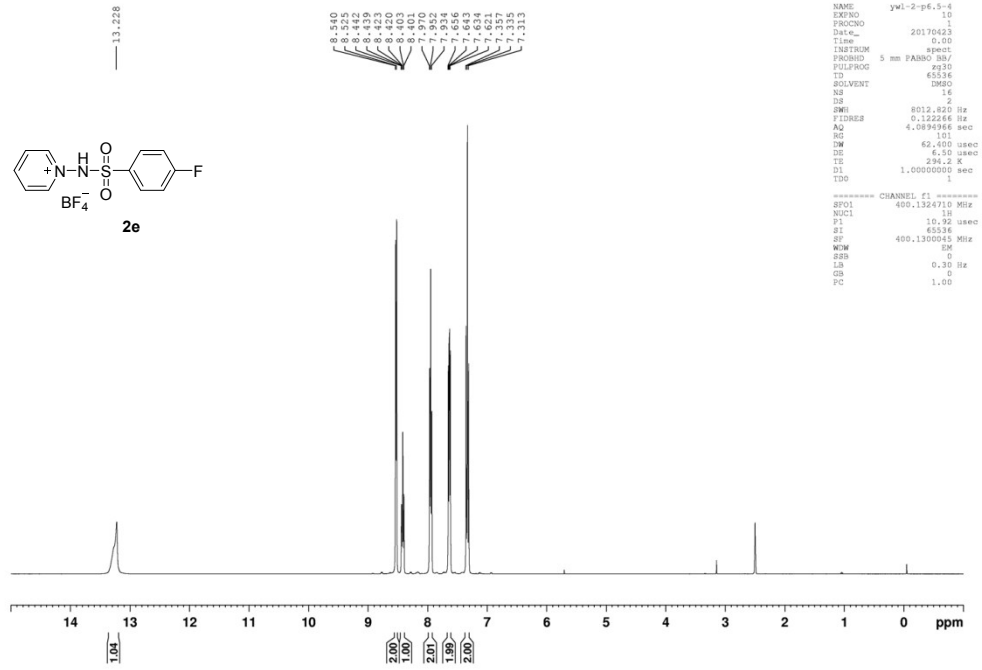


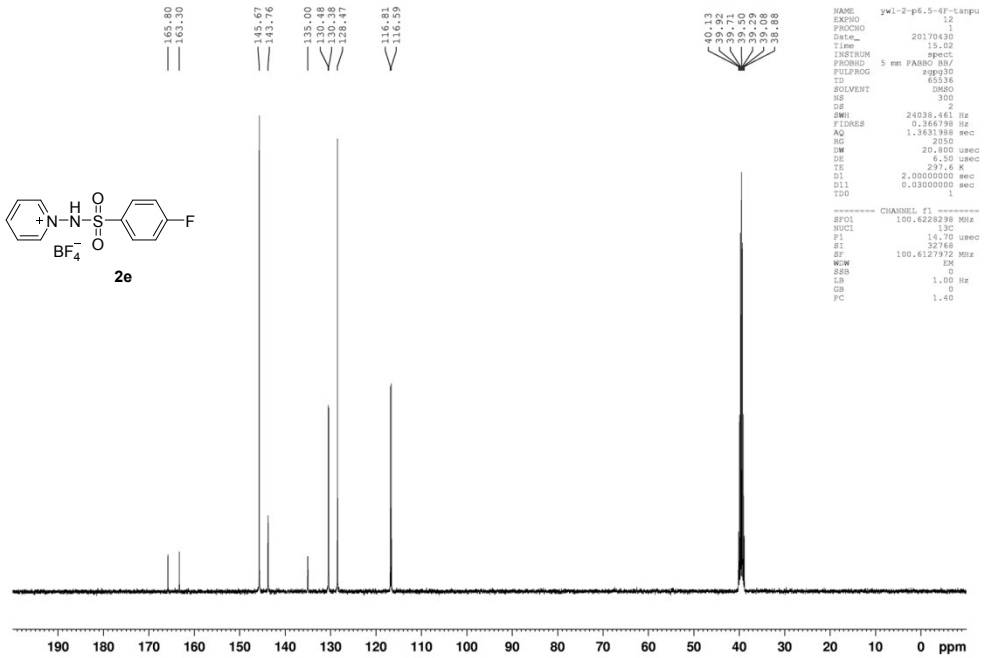
1-(4-tert-Butylbenzenesulfonylamino)-pyridinium tetrafluoroborate (2d)



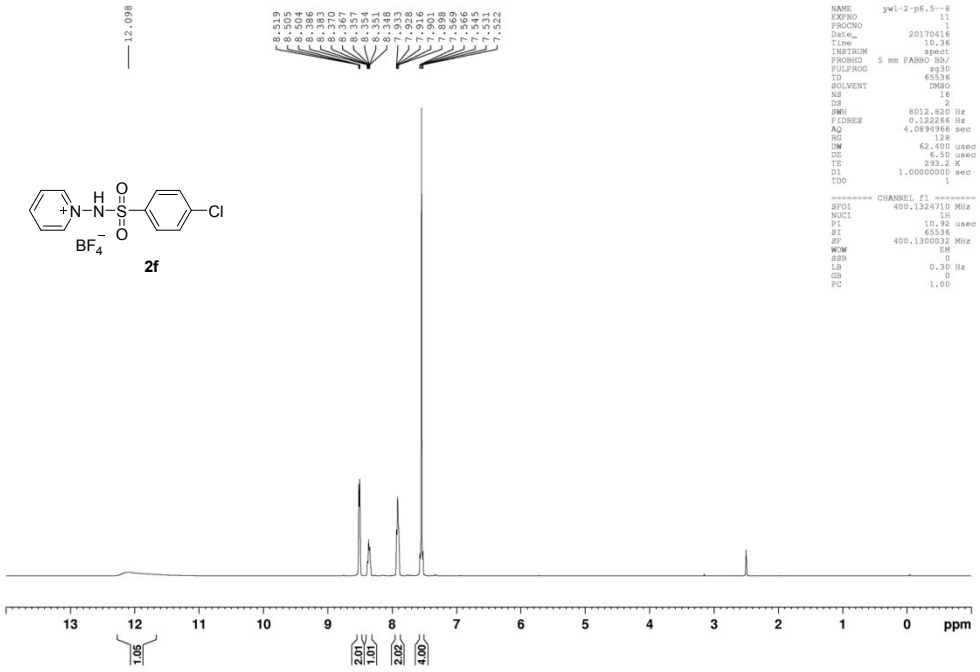


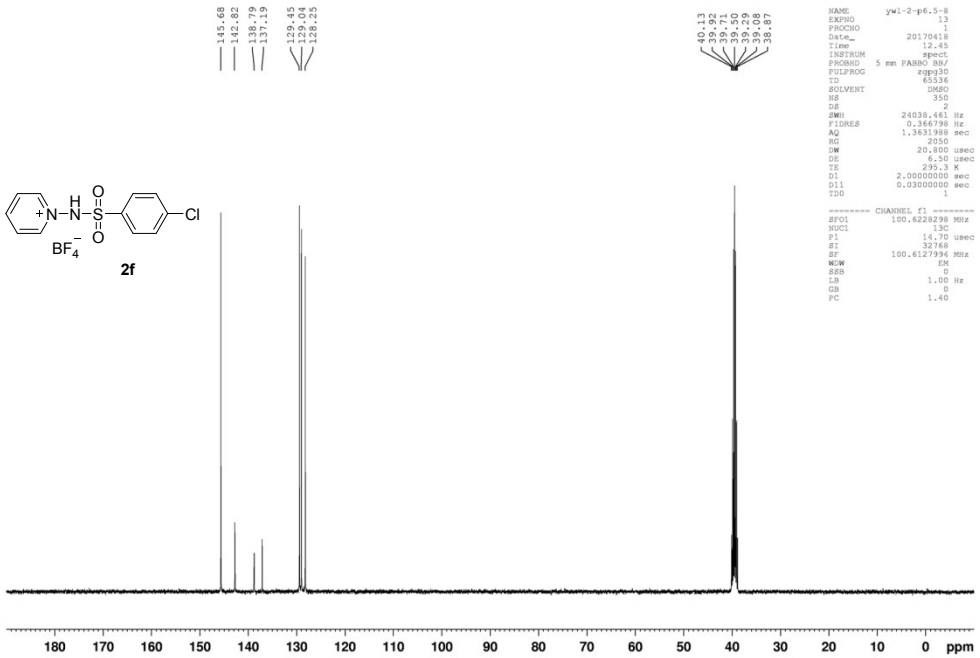
1-(4-Fluorobenzenesulfonylamino)-pyridinium tetrafluoroborate (2e)



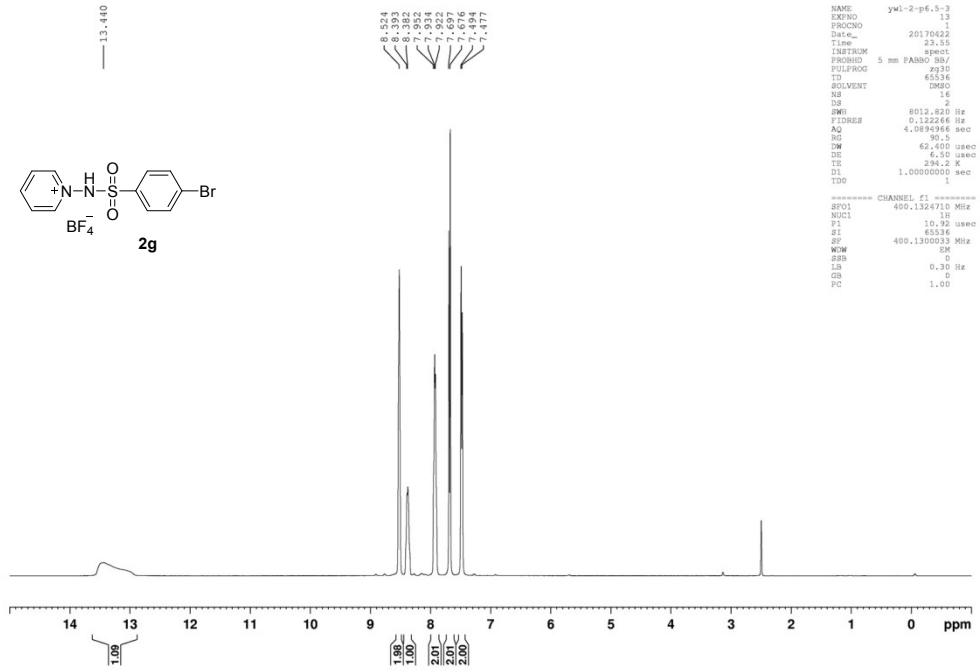


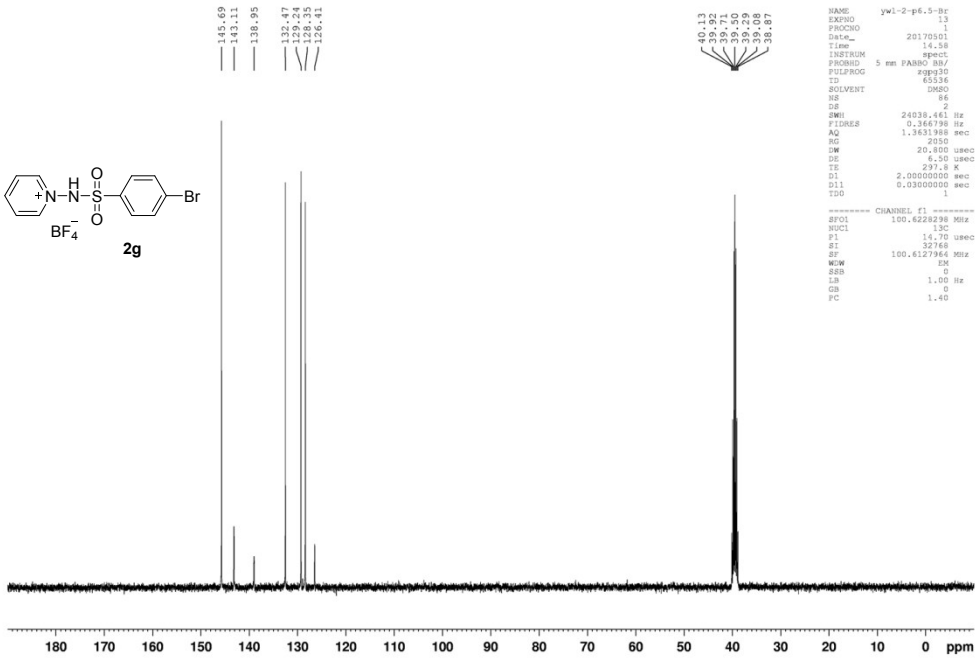
1-(4-Chlorobenzenesulfonylamino)-pyridinium tetrafluoroborate (2f)



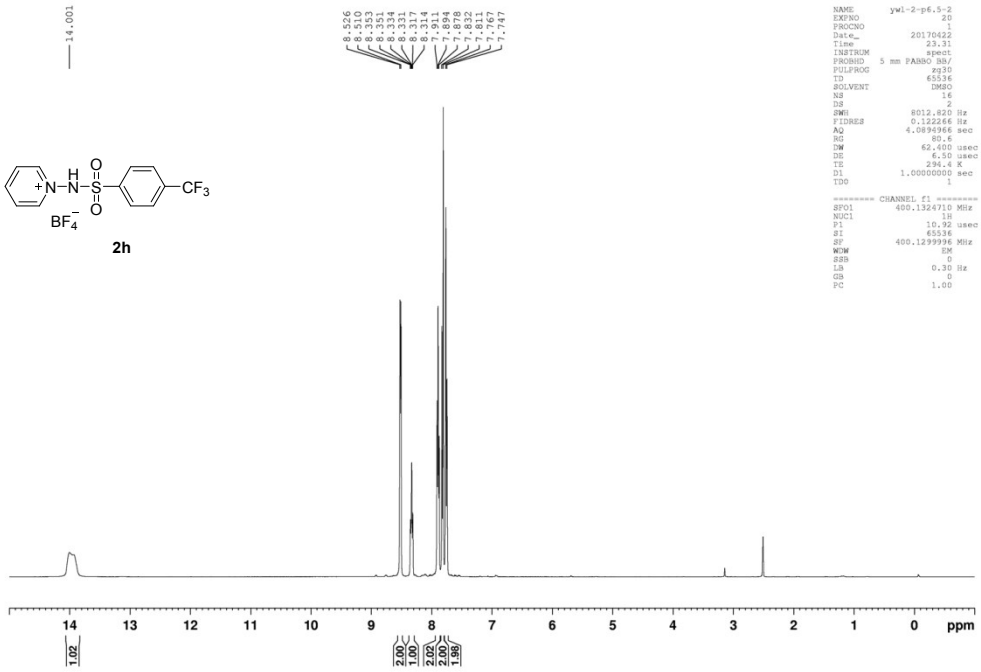


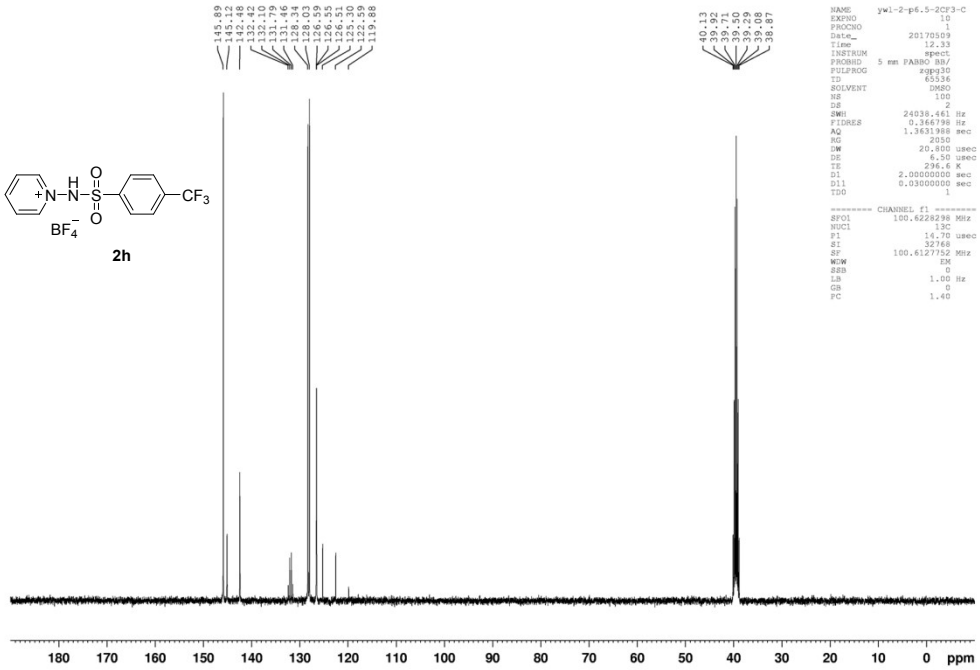
1-(4-Bromobenzenesulfonylamino)-pyridinium tetrafluoroborate (2g)



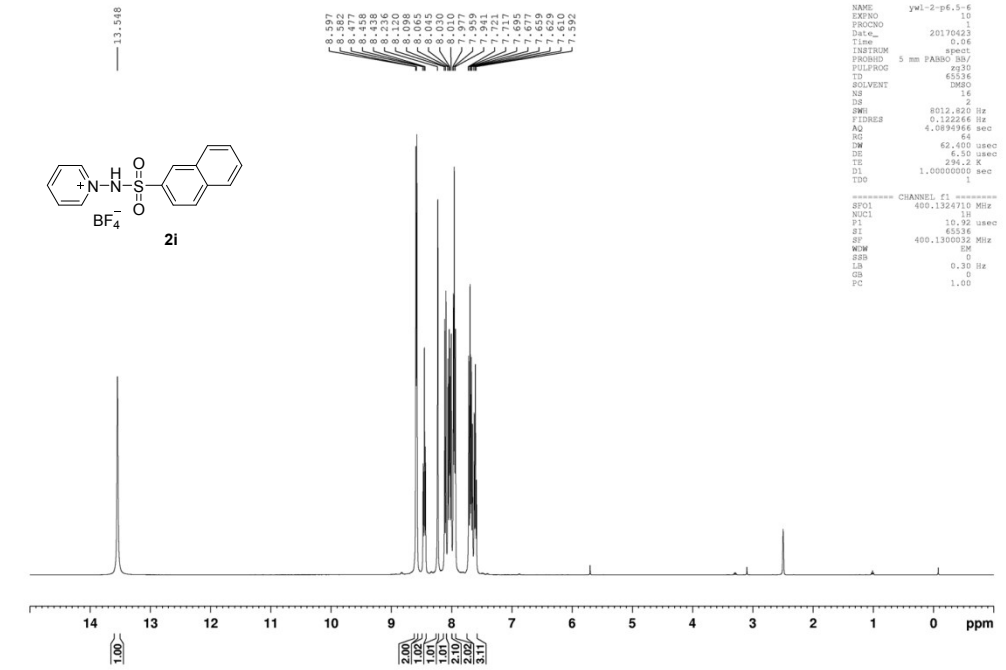


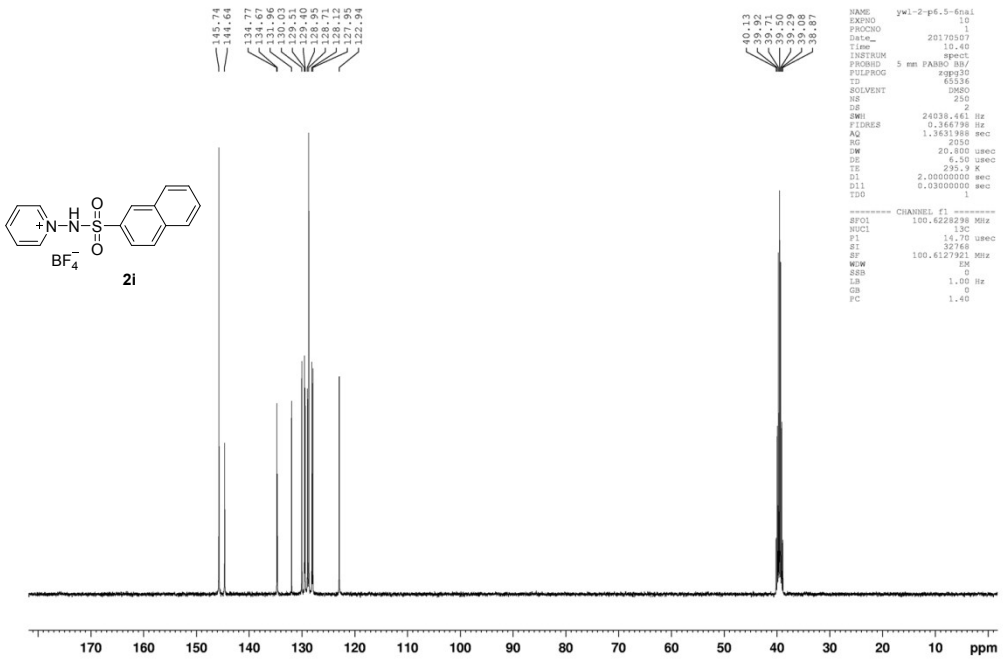
1-(4-Trifluoromethylbenzenesulfonylamino)-pyridinium tetrafluoroborate (2h)



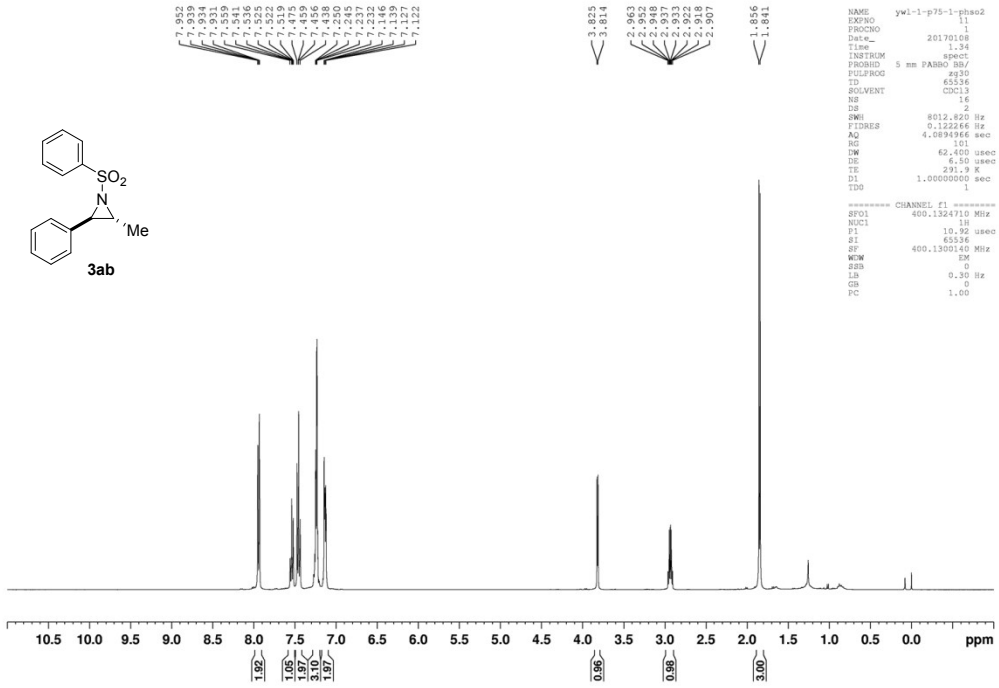


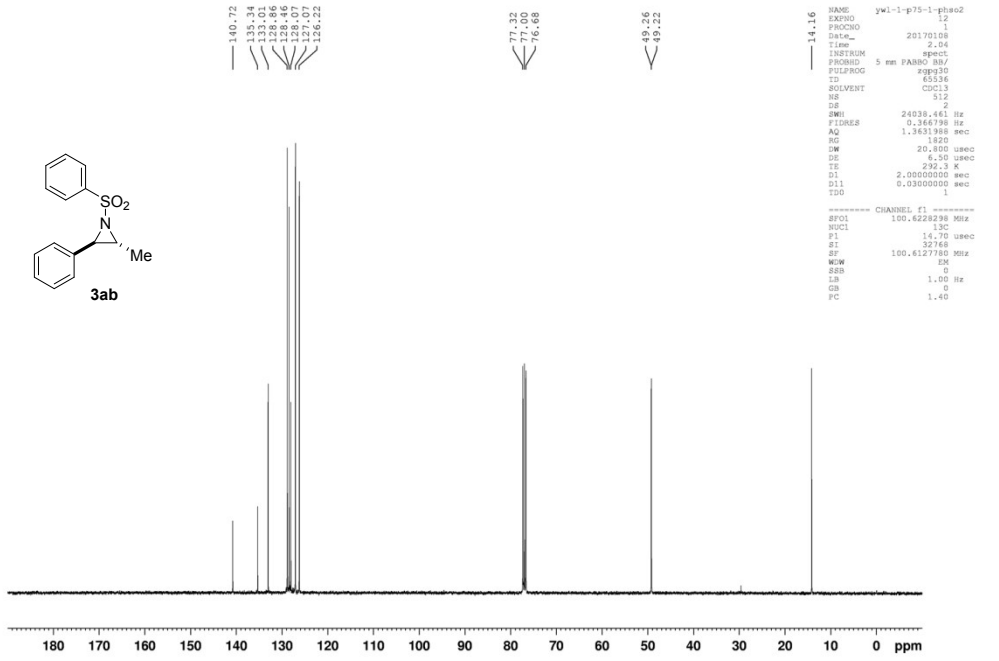
1-(2-Naphthalenesulfonylamino)-pyridinium tetrafluoroborate (2i)



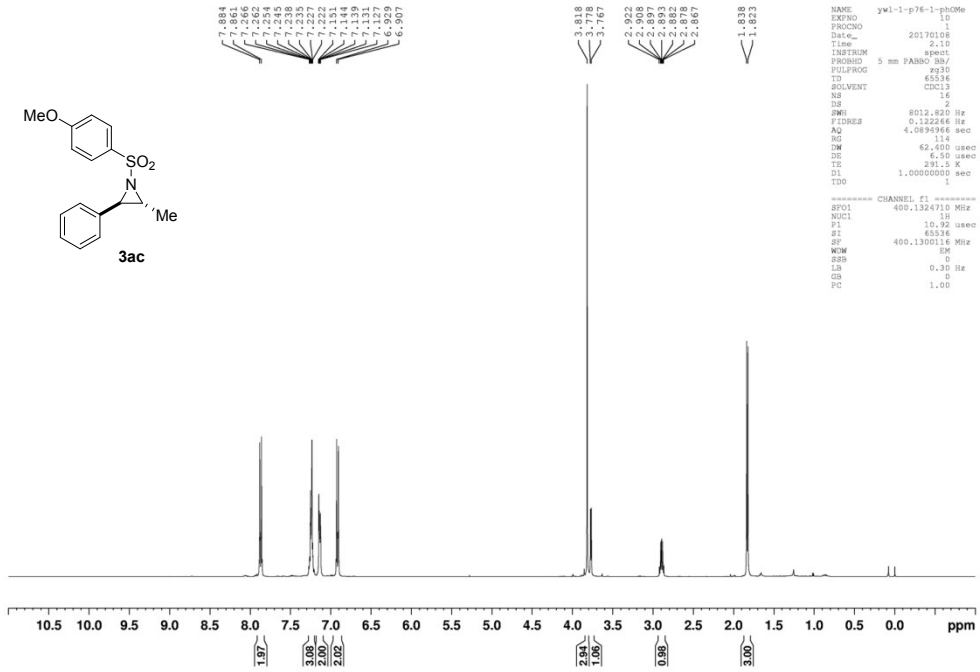


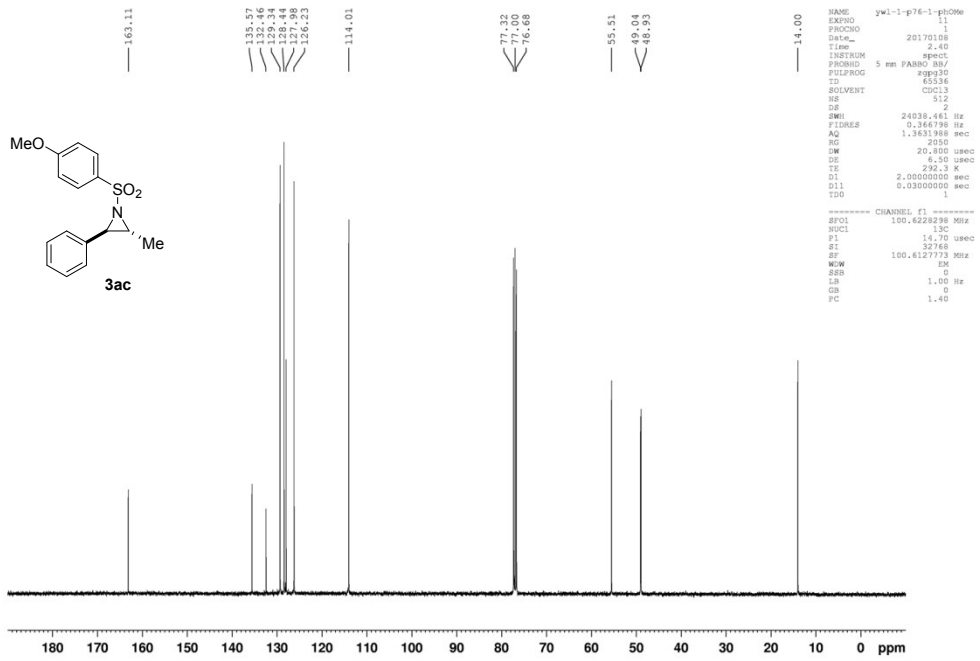
(2*R,3*R**)-2-Methyl-3-phenyl-1-(phenylsulfonyl)aziridine (3ab)**



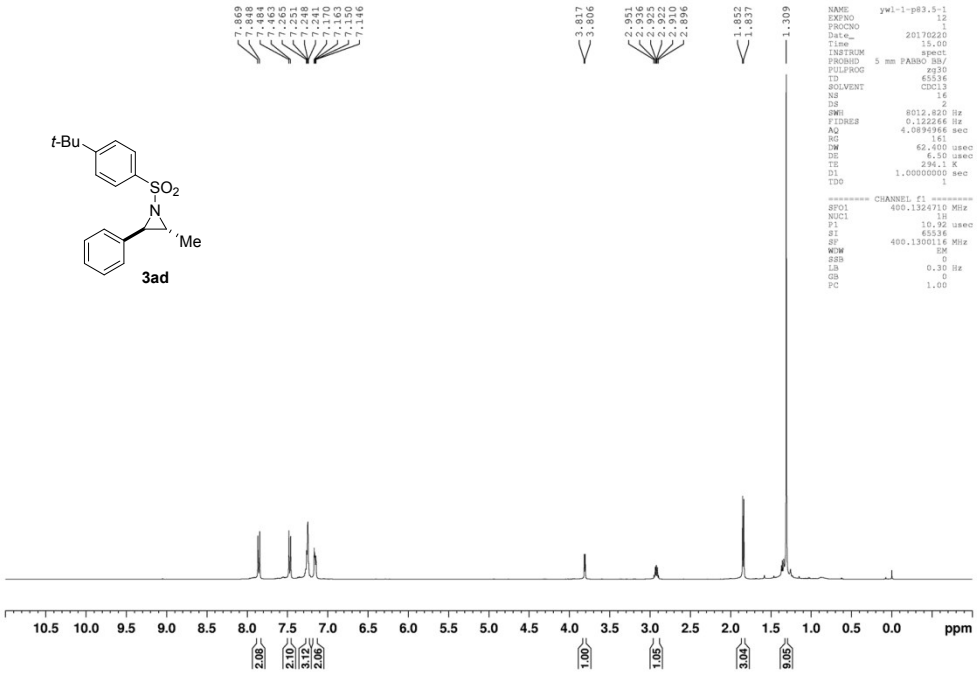


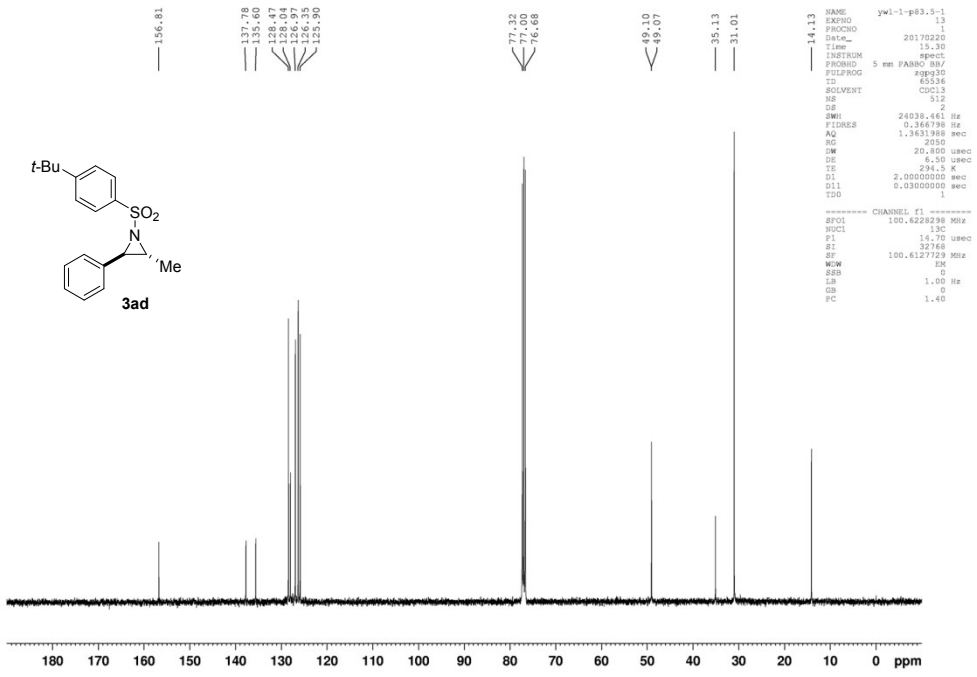
(2*R,3*R**)-1-((4-Methoxyphenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ac)**



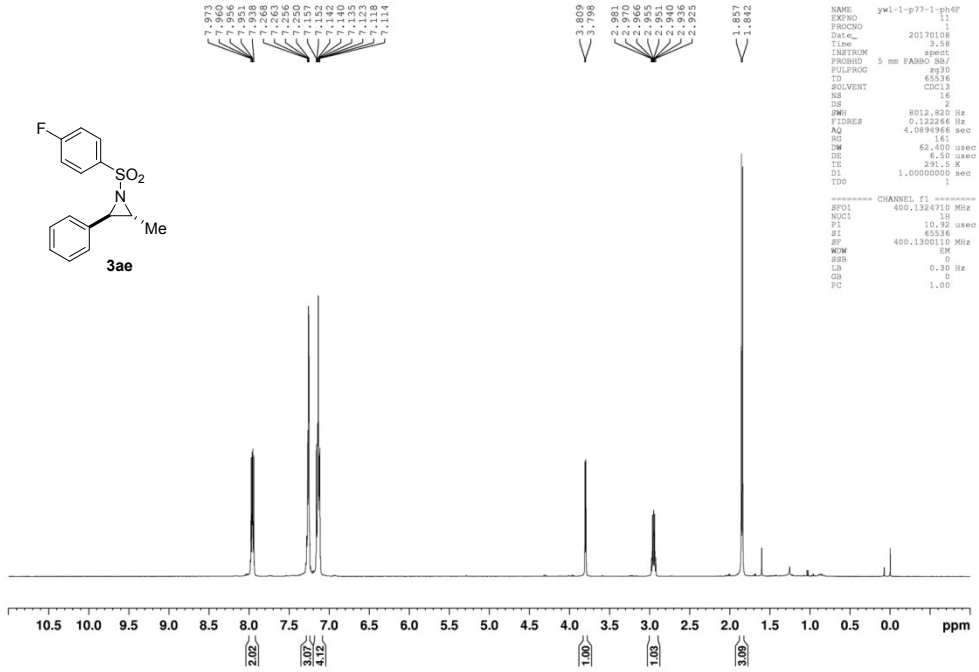


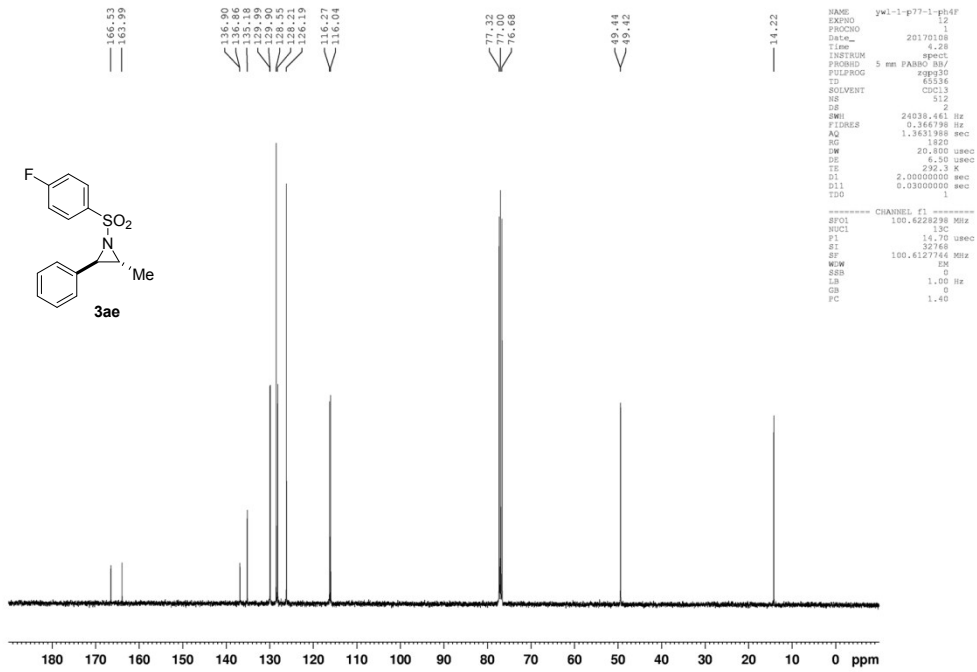
(2*R,3*R**)-1-((4-(tert-Butyl)phenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ad)**



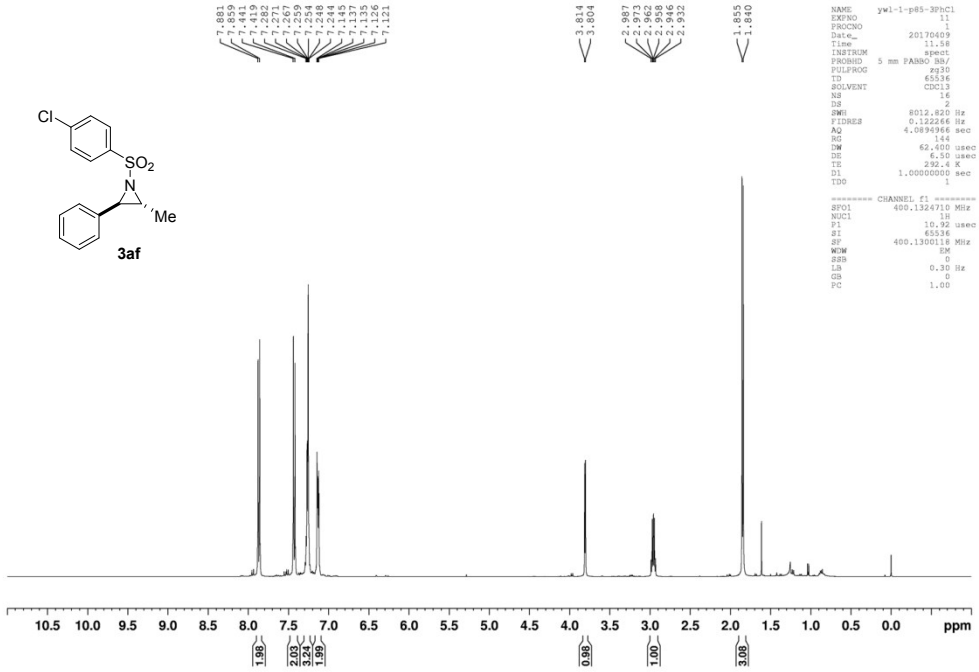


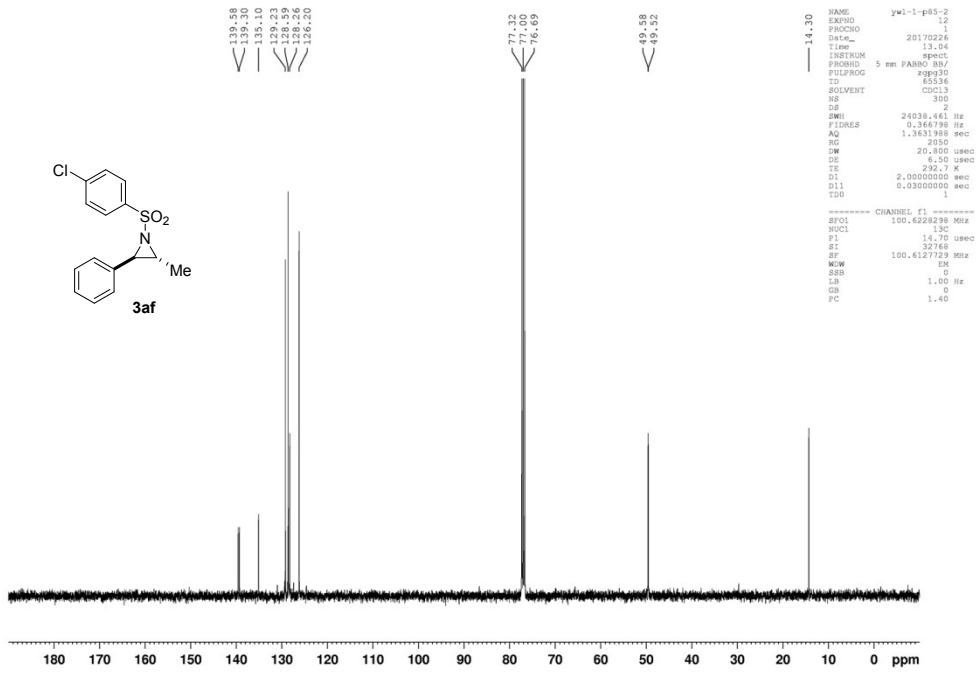
(2*R,3*R**)-1-((4-Fluorophenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ae)**



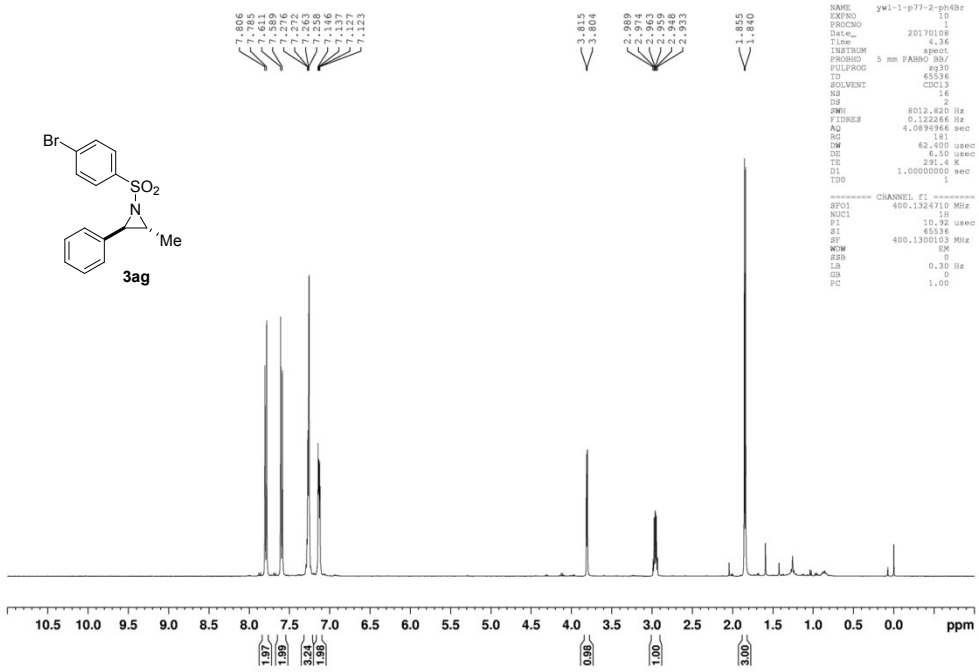


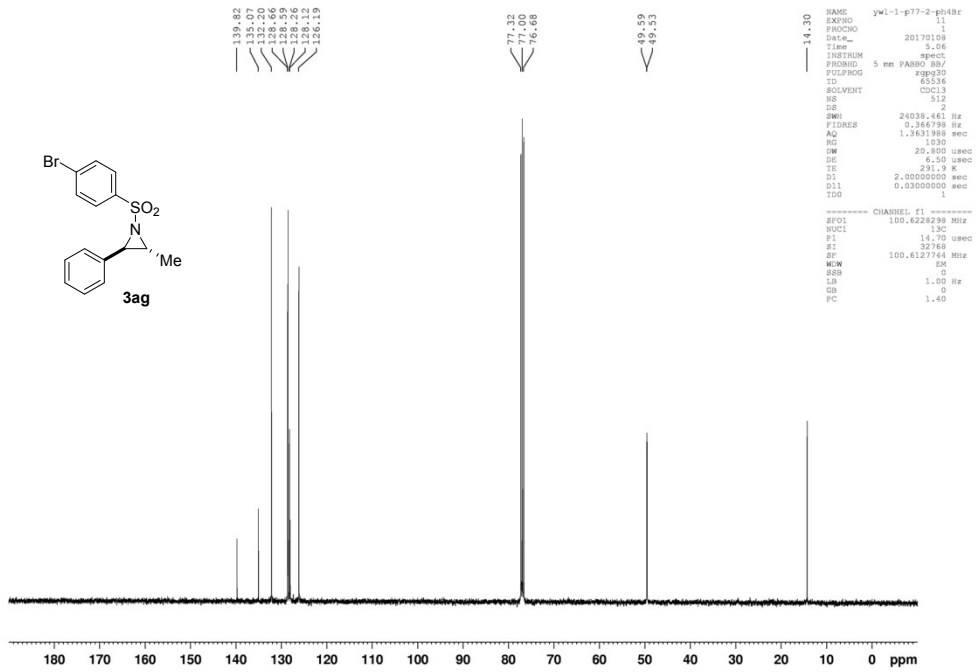
(2*R,3*R**)-1-((4-Chlorophenyl)sulfonyl)-2-methyl-3-phenylaziridine (3af)**



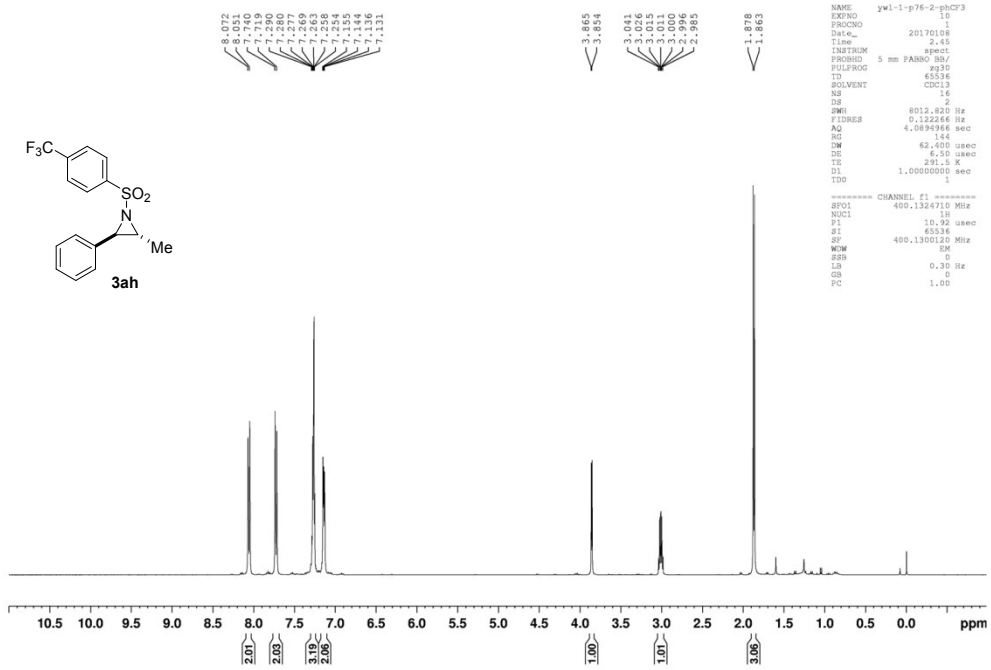


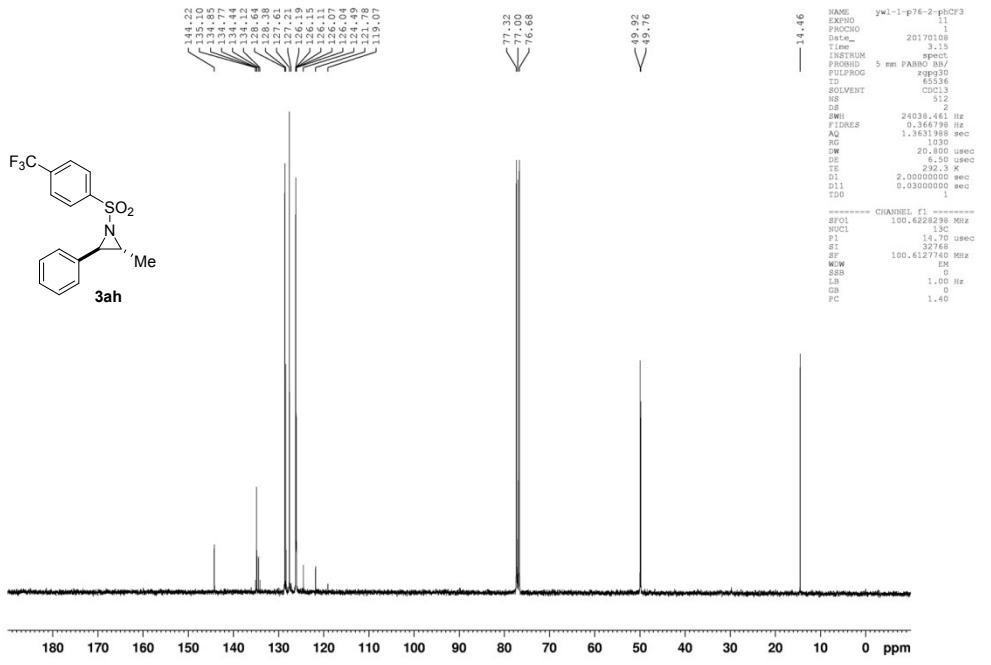
(2*R,3*R**)-1-((4-Bromophenyl)sulfonyl)-2-methyl-3-phenylaziridine (3ag)**



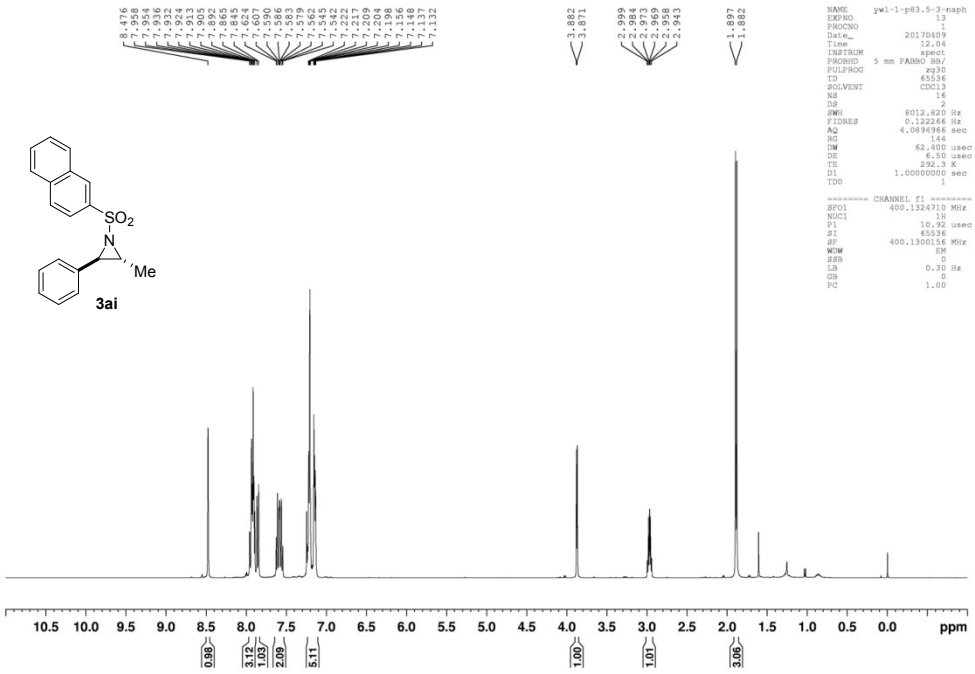


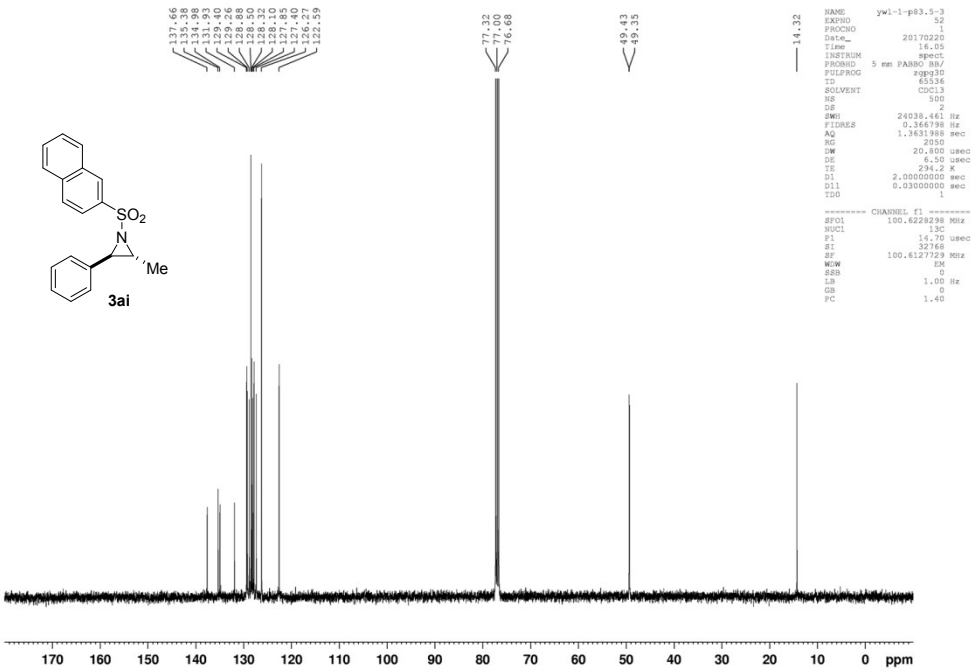
(2*R,3*R**)-2-Methyl-3-phenyl-1-((4-(trifluoromethyl)phenyl)sulfonyl)aziridine (3ah)**



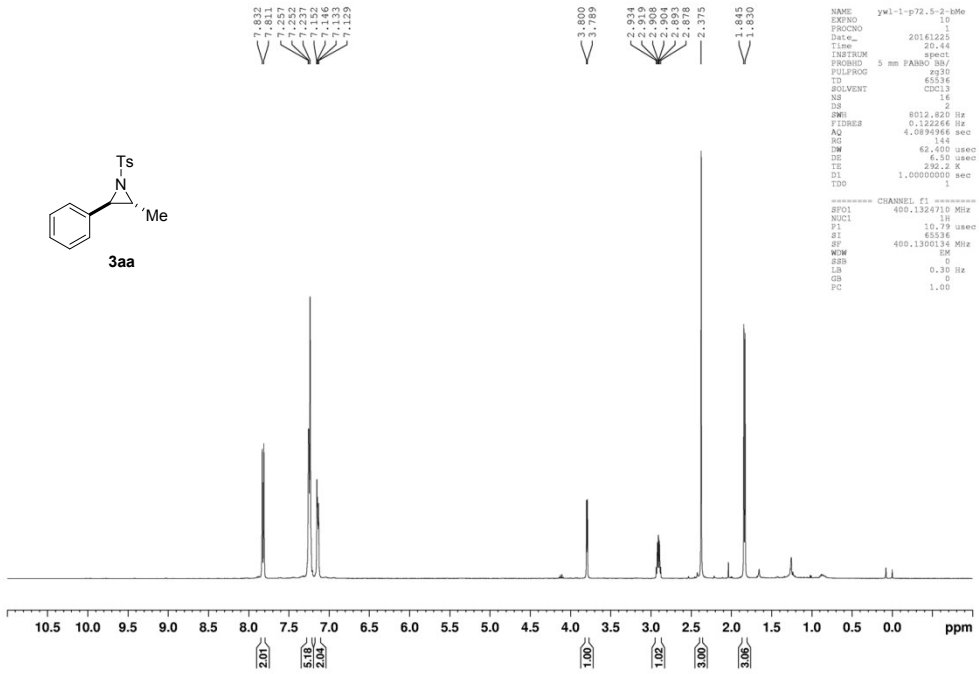


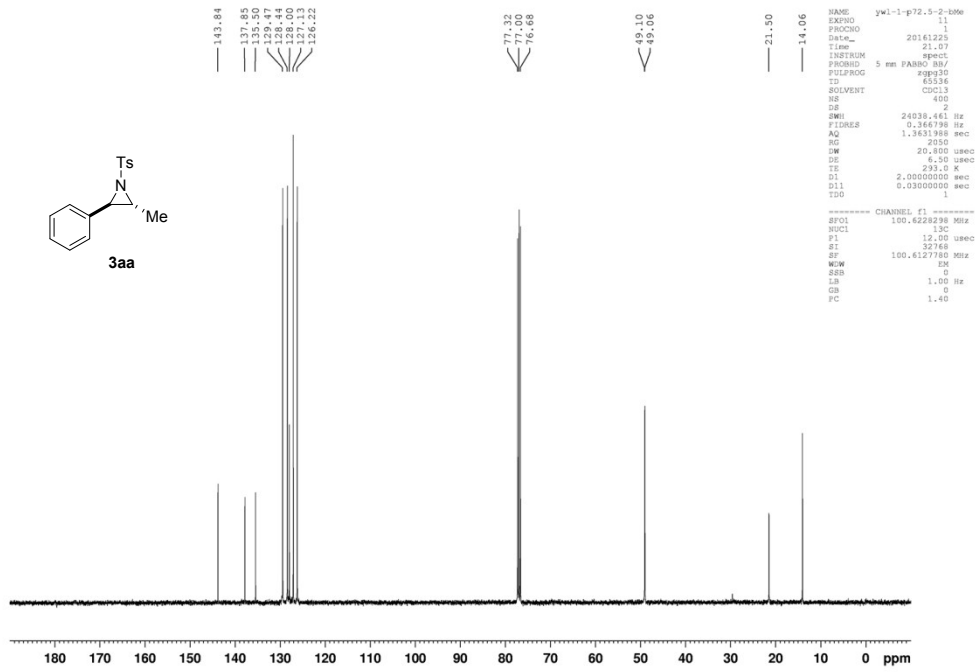
(2*R,3*R**)-2-Methyl-1-(naphthalen-2-ylsulfonyl)-3-phenylaziridine (3ai)**



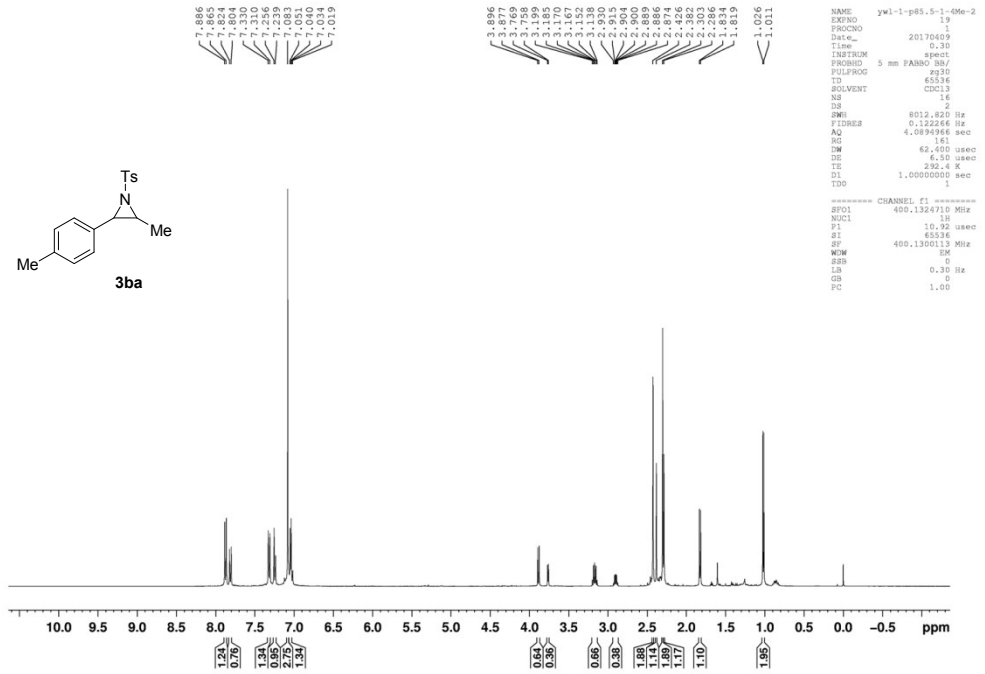


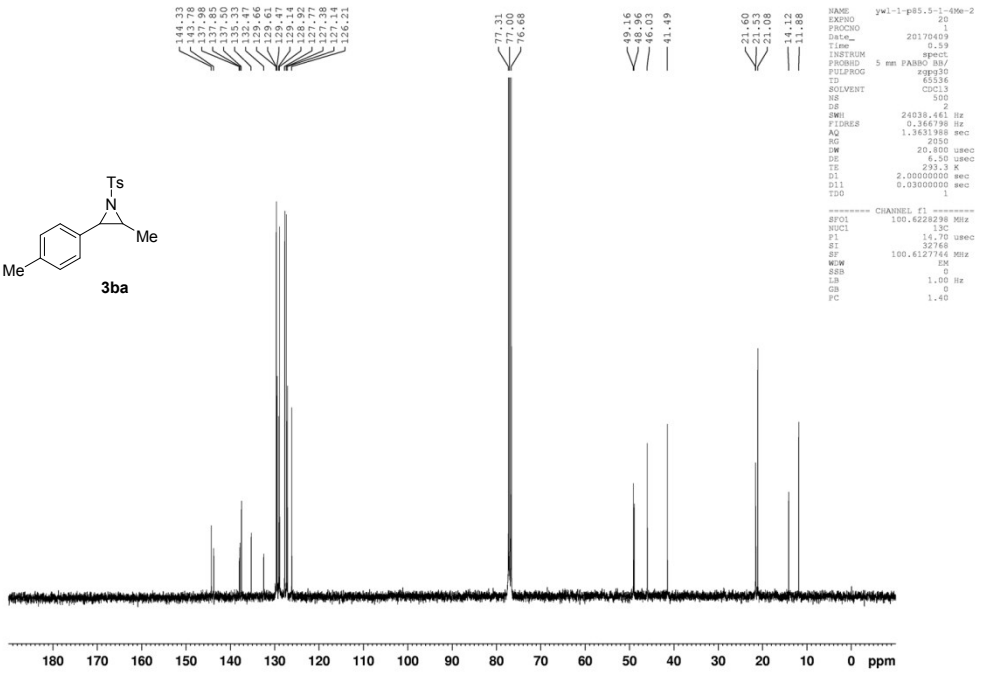
(2*R,3*R**)-2-Methyl-3-phenyl-1-tosylaziridine (3aa)**



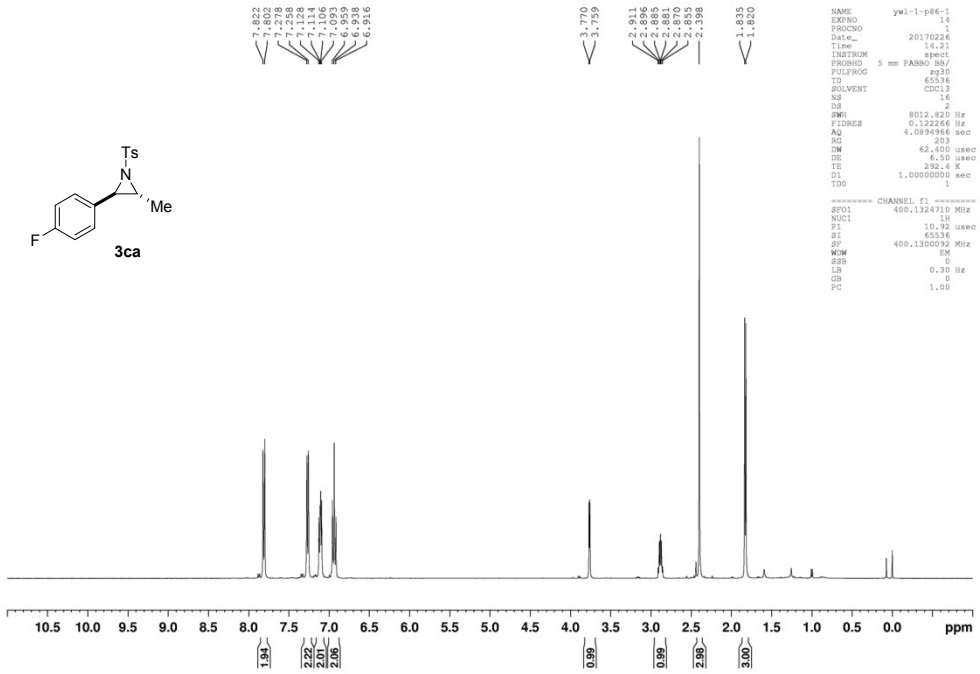


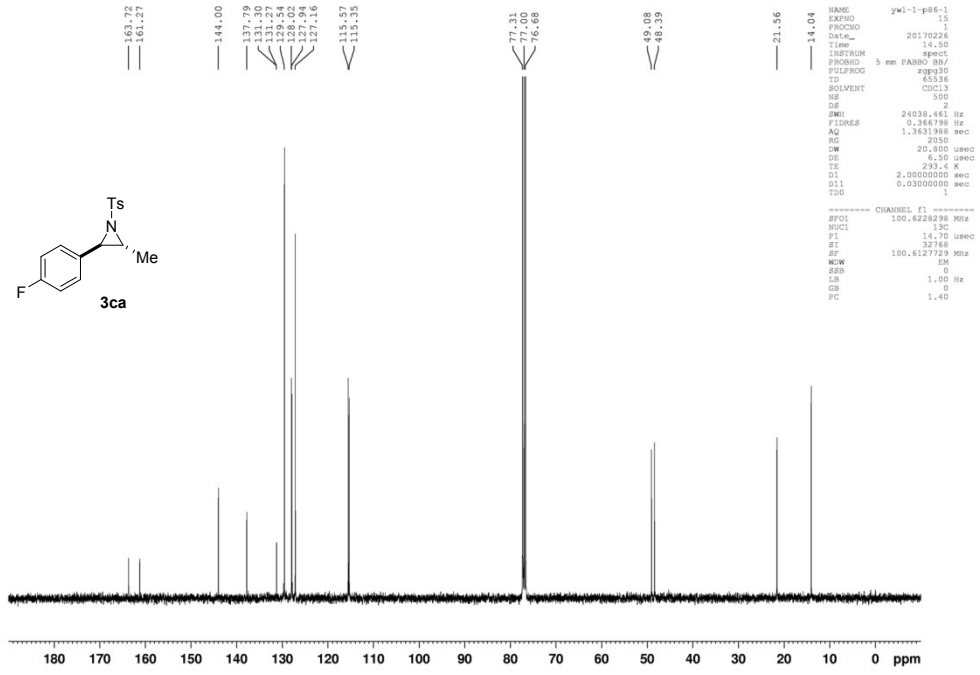
2-Methyl-3-(p-tolyl)-1-tosylaziridine (3ba)



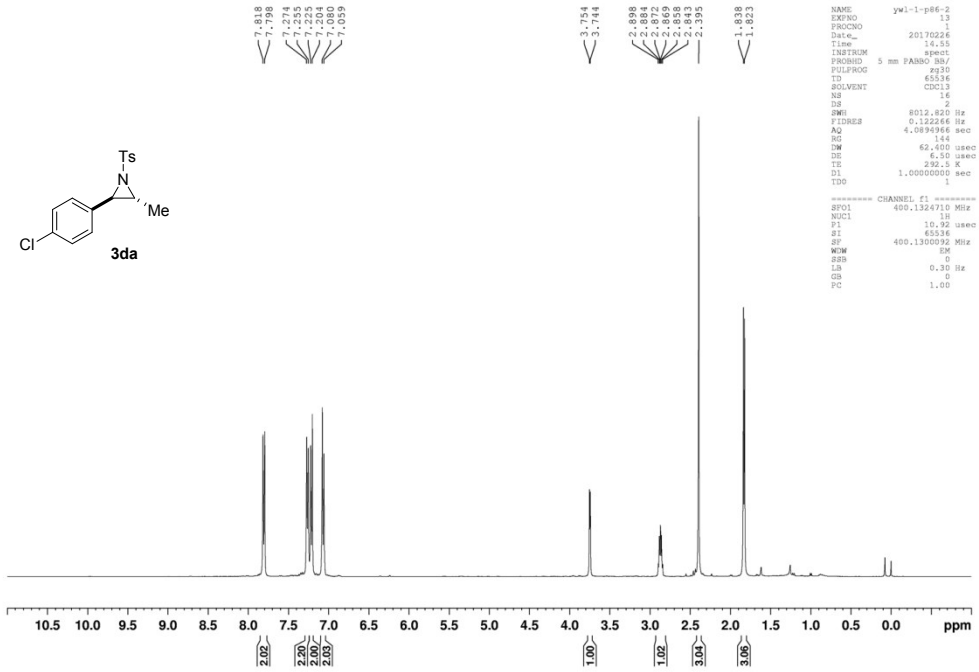


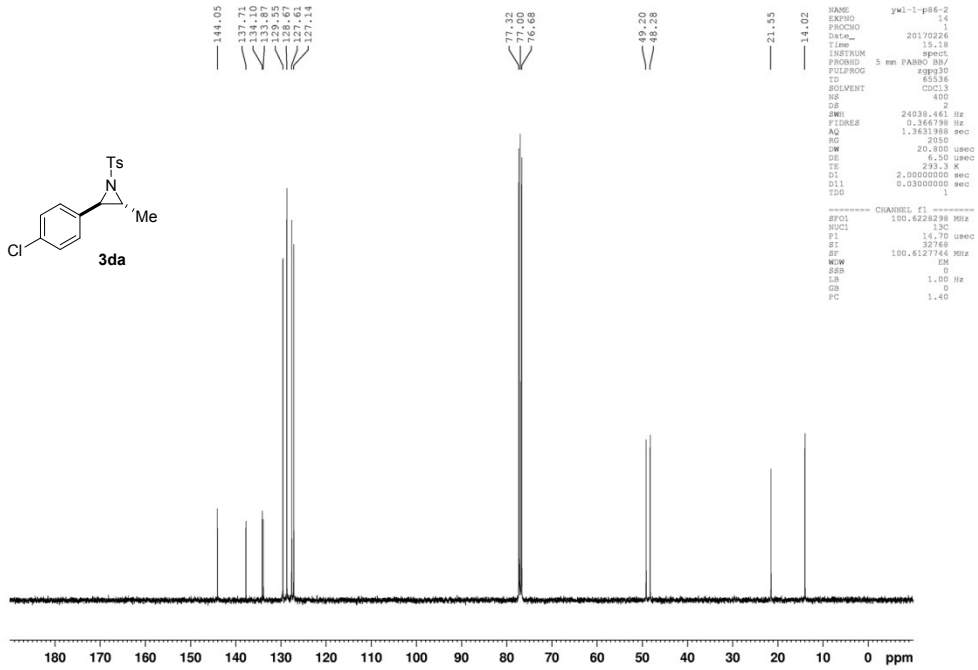
(2*R,3*R**)-2-(4-Fluorophenyl)-3-methyl-1-tosylaziridine (3ca)**



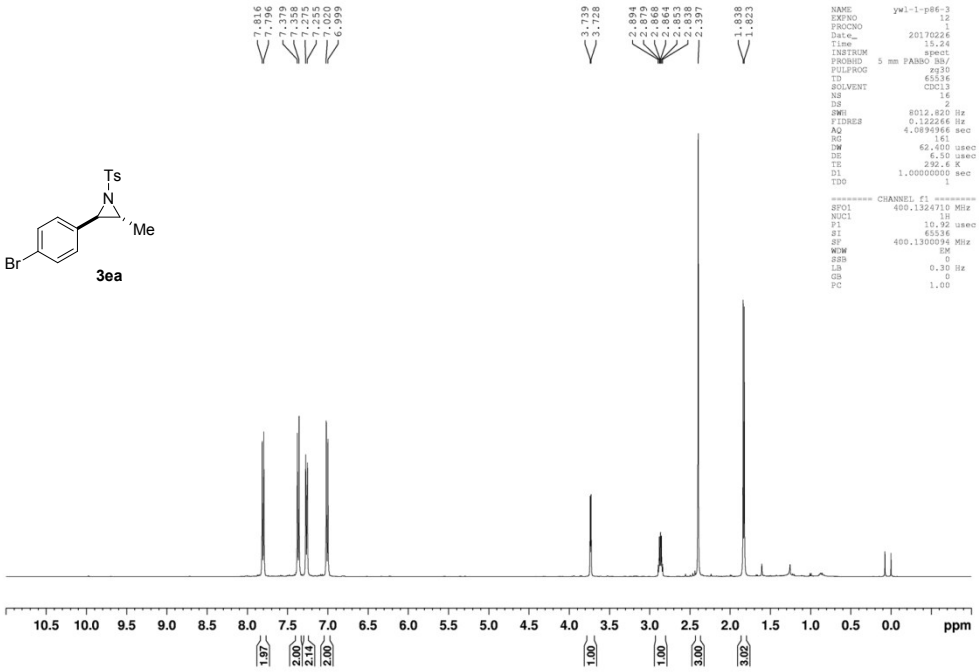


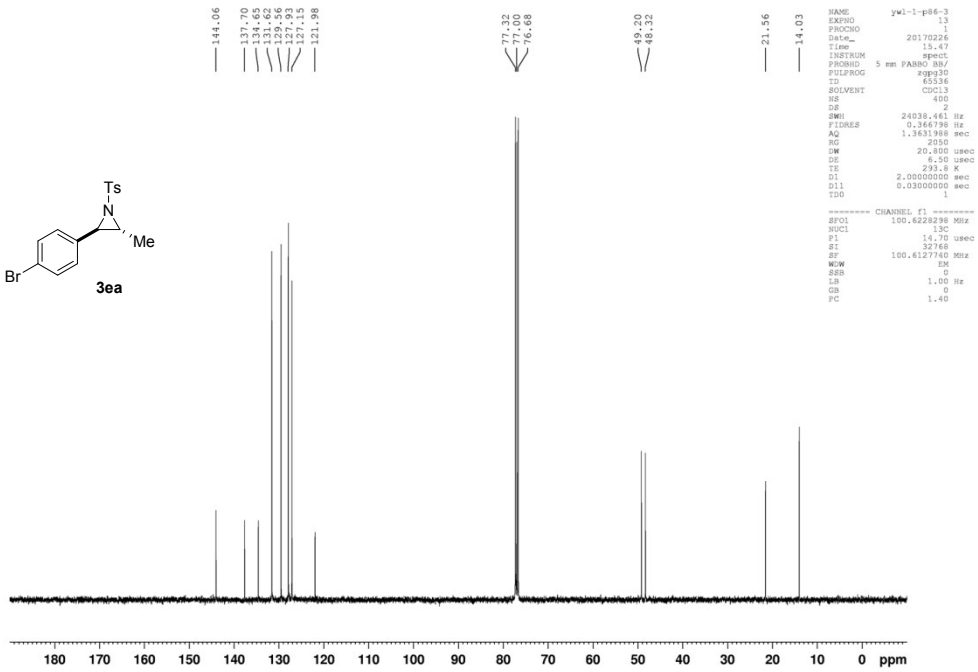
(2*R,3*R**)-2-(4-Chlorophenyl)-3-methyl-1-tosylaziridine (3da)**



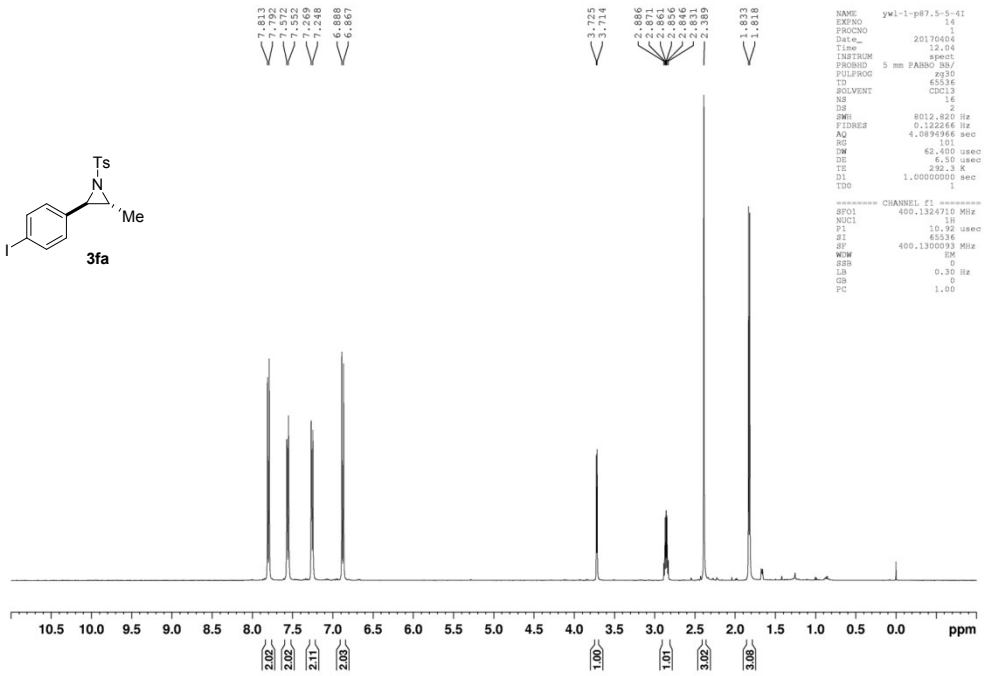


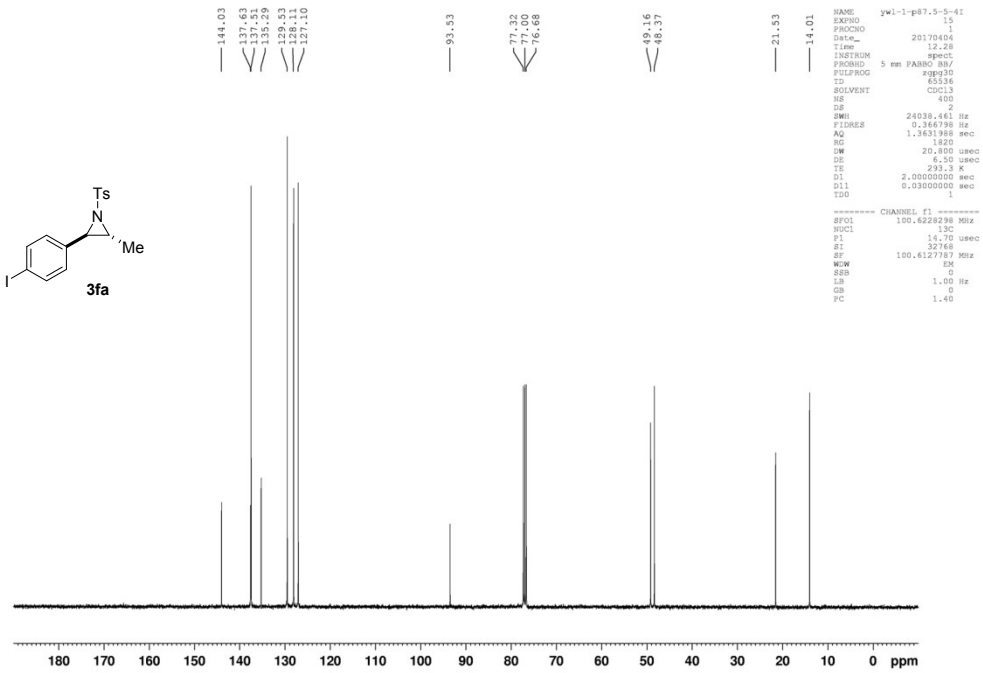
(2*R,3*R**)-2-(4-Bromophenyl)-3-methyl-1-tosylaziridine (3ea)**



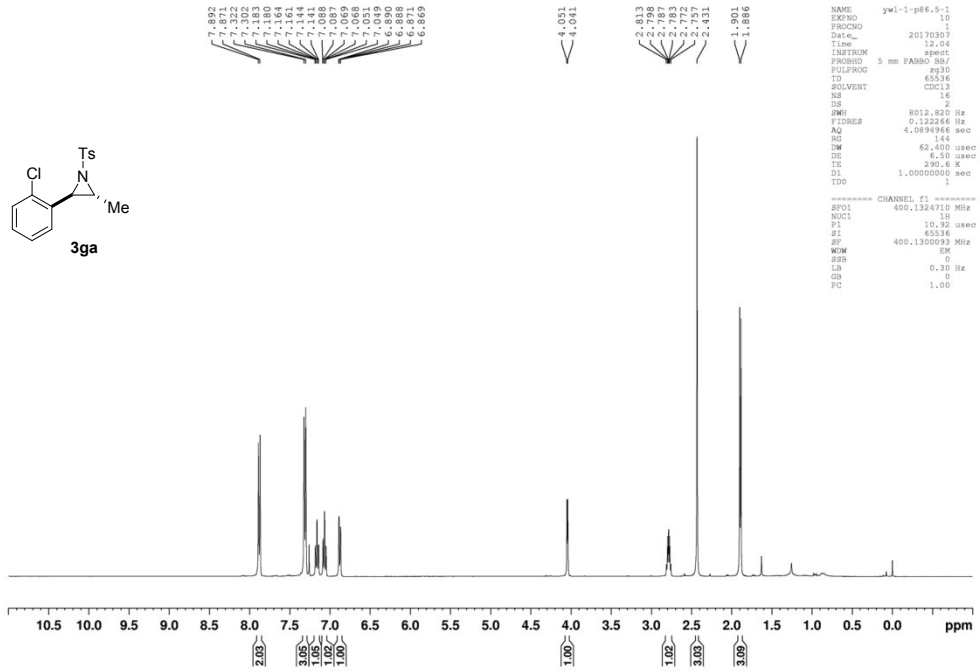


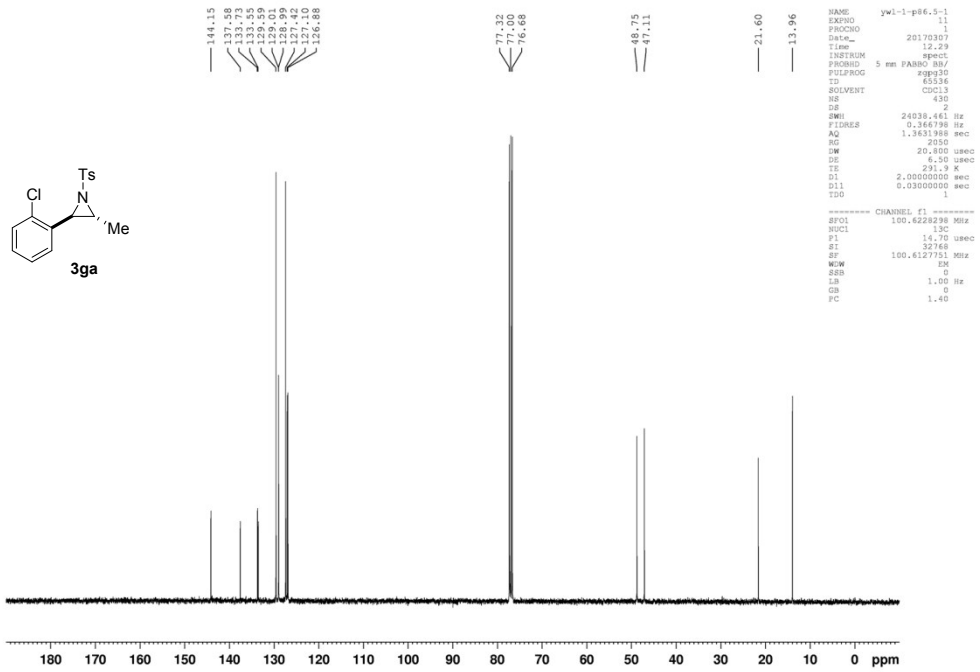
(2*R,3*R**)-2-(4-Iodophenyl)-3-methyl-1-tosylaziridine (3fa)**



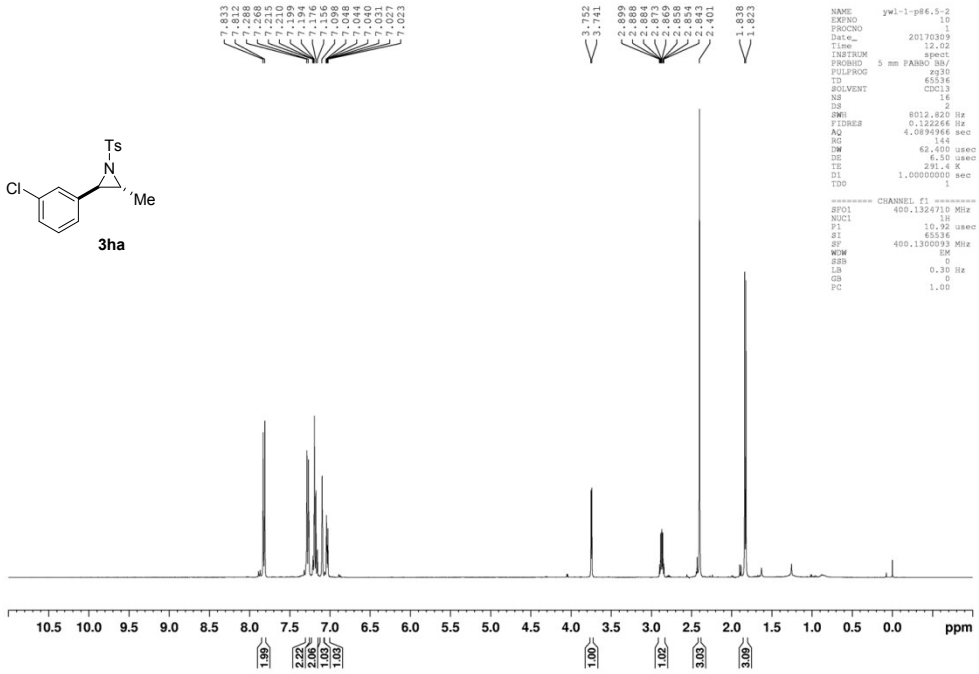


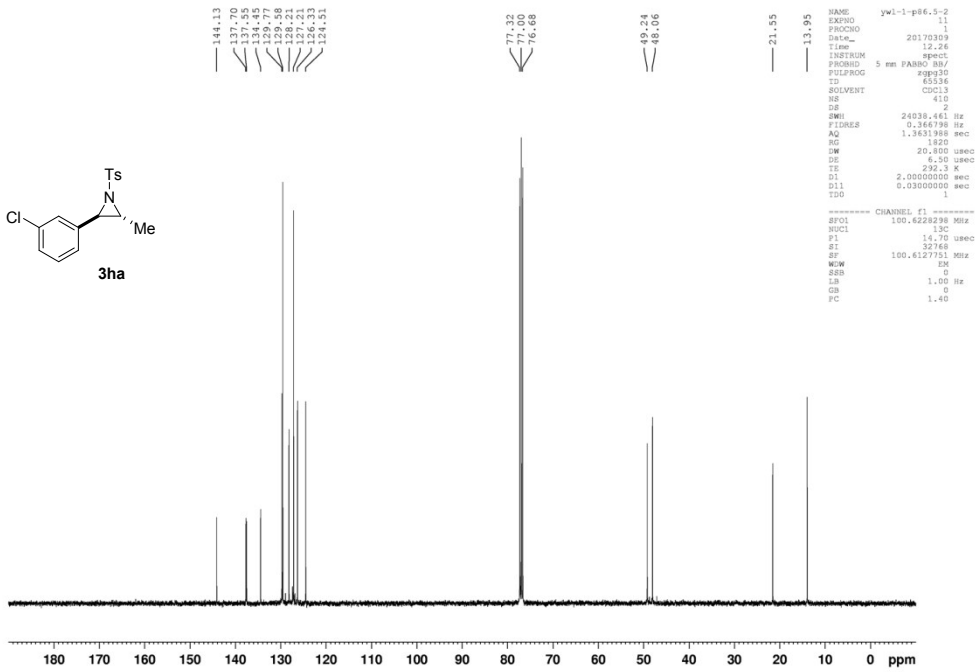
(2*R,3*R**)-2-(2-Chlorophenyl)-3-methyl-1-tosylaziridine (3ga)**



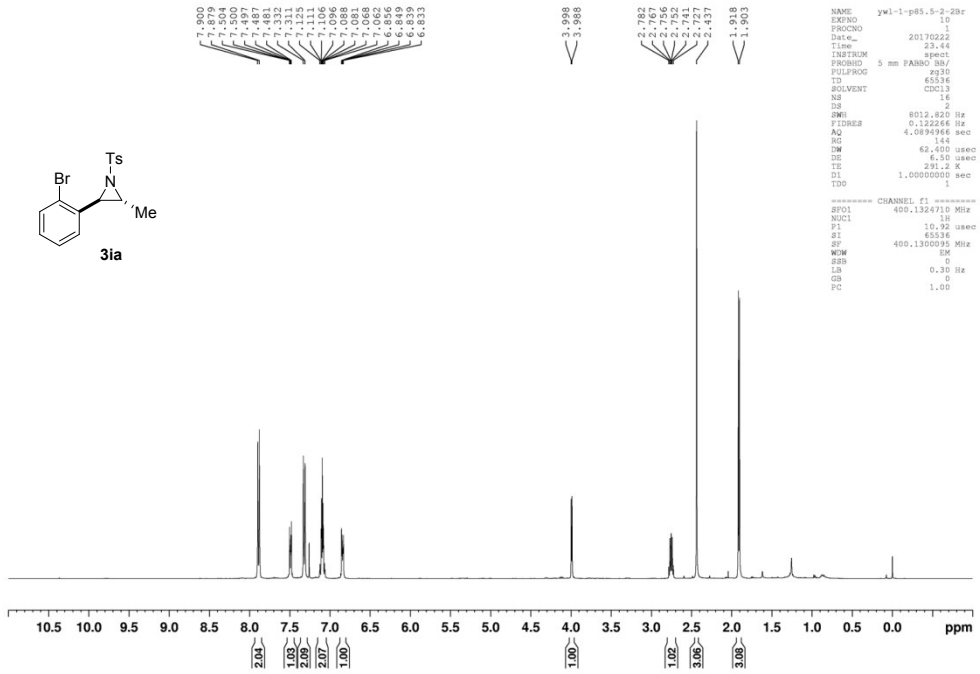


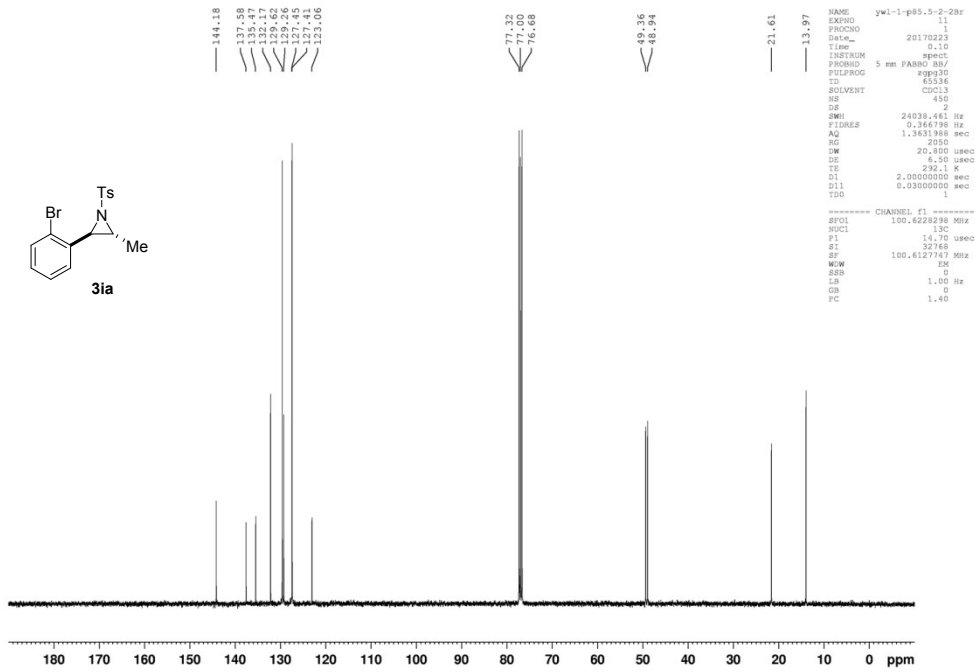
(2*R,3*R**)-2-(3-Chlorophenyl)-3-methyl-1-tosylaziridine (3ha)**



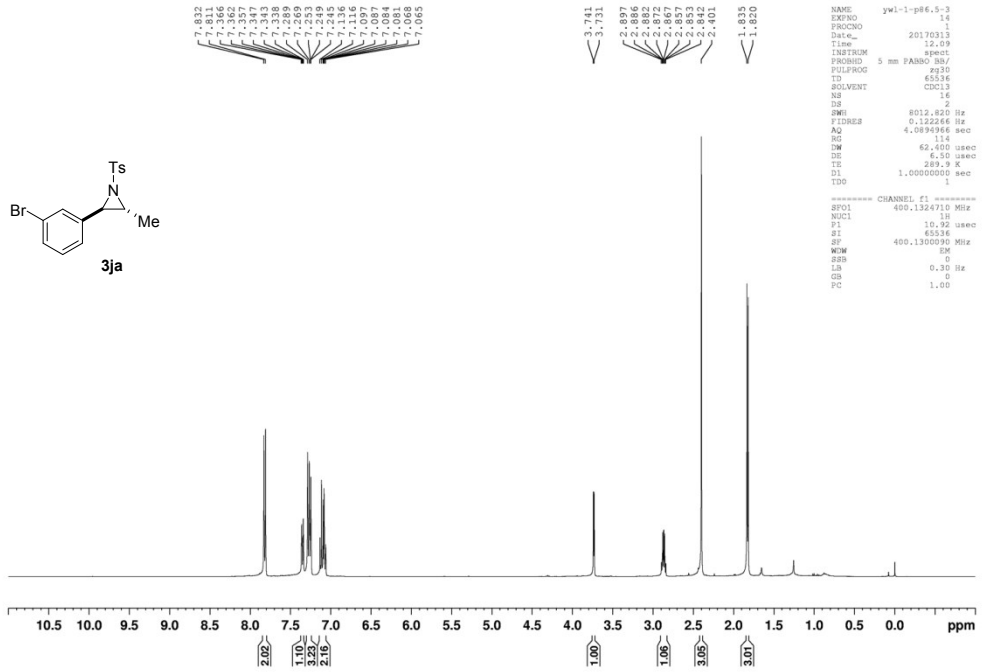


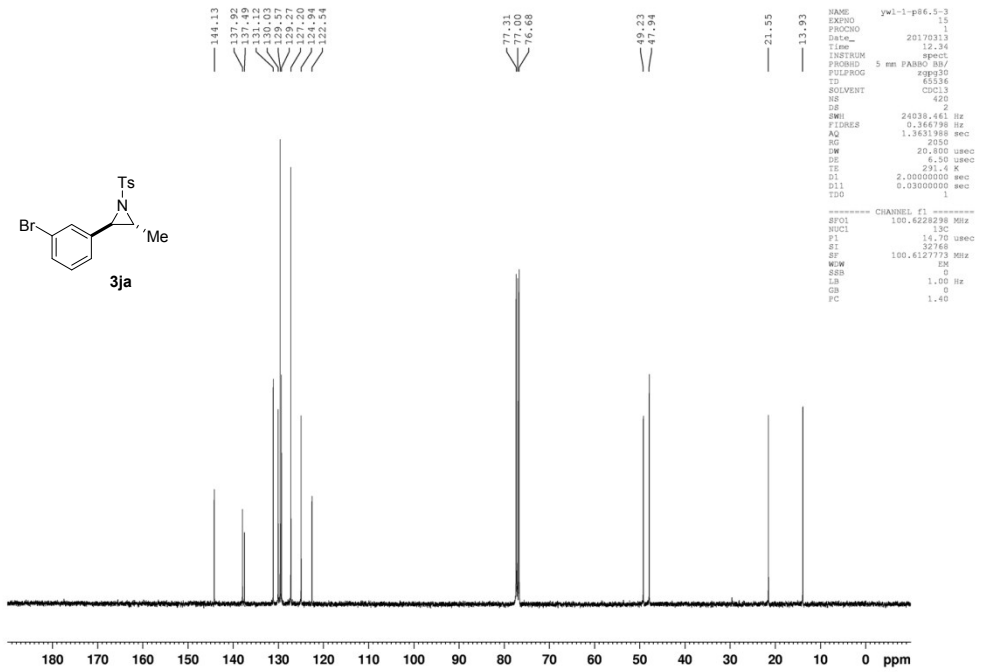
(2*R,3*R**)-2-(2-Bromophenyl)-3-methyl-1-tosylaziridine (3ia)**



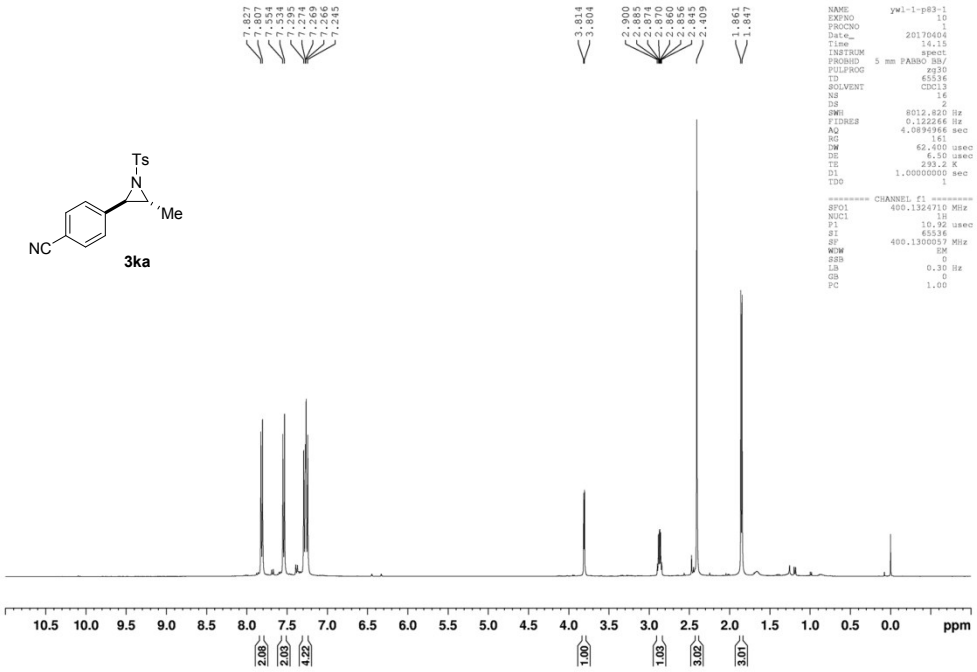


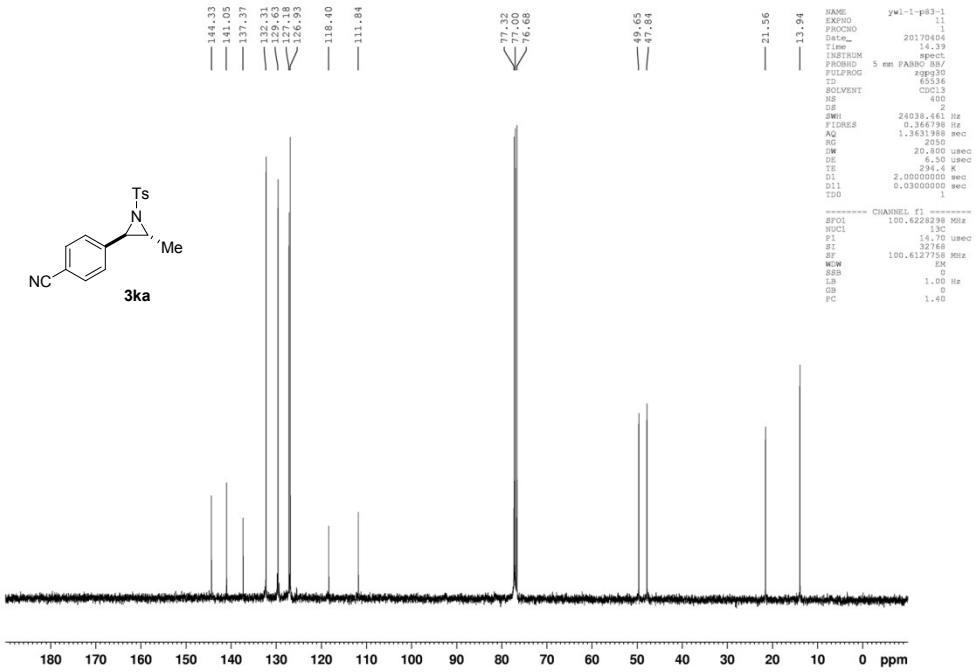
(2*R,3*R**)-2-(3-Bromophenyl)-3-methyl-1-tosylaziridine (3ja)**



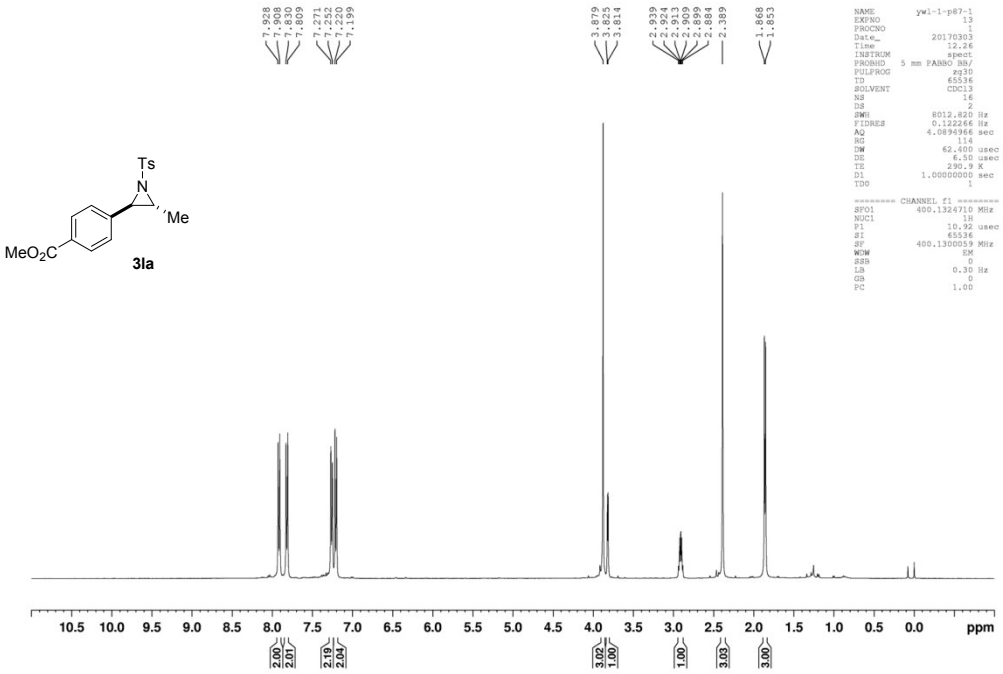


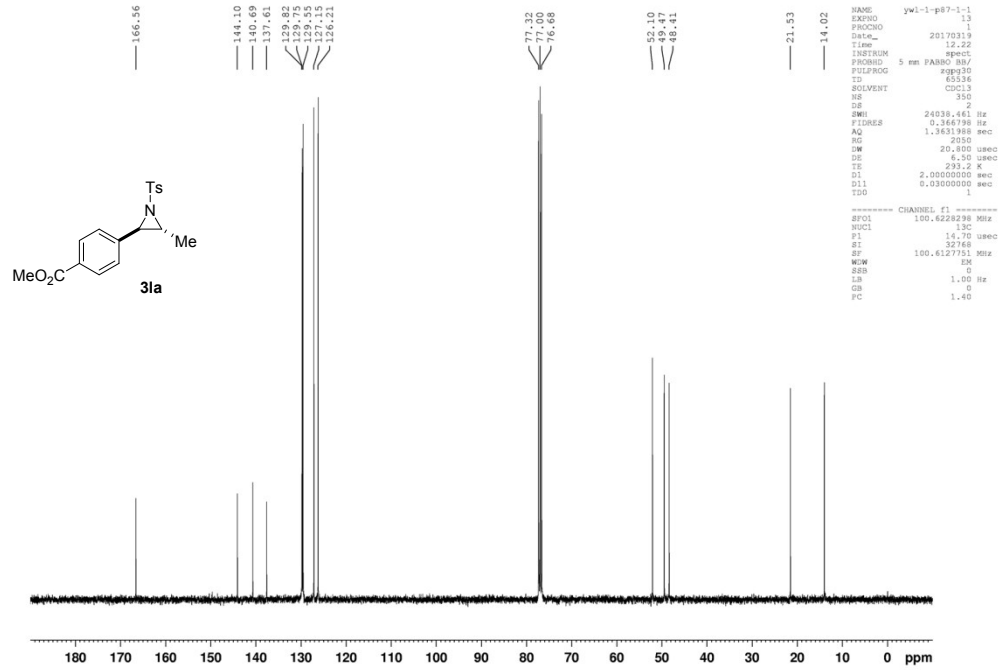
4-((2*R,3*R**)-3-Methyl-1-tosylaziridin-2-yl)benzonitrile (3ka)**



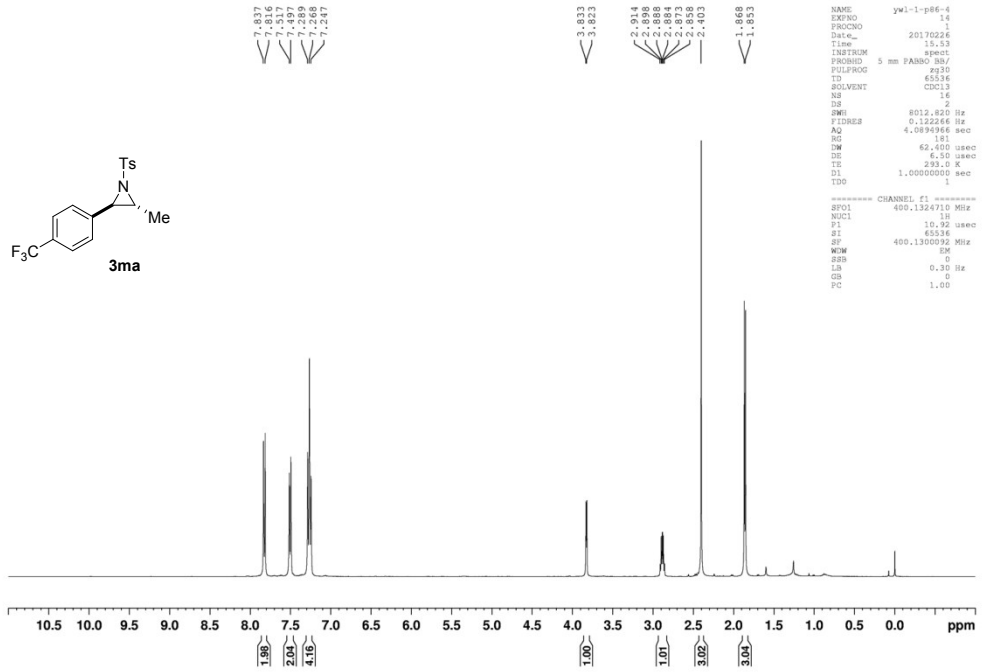


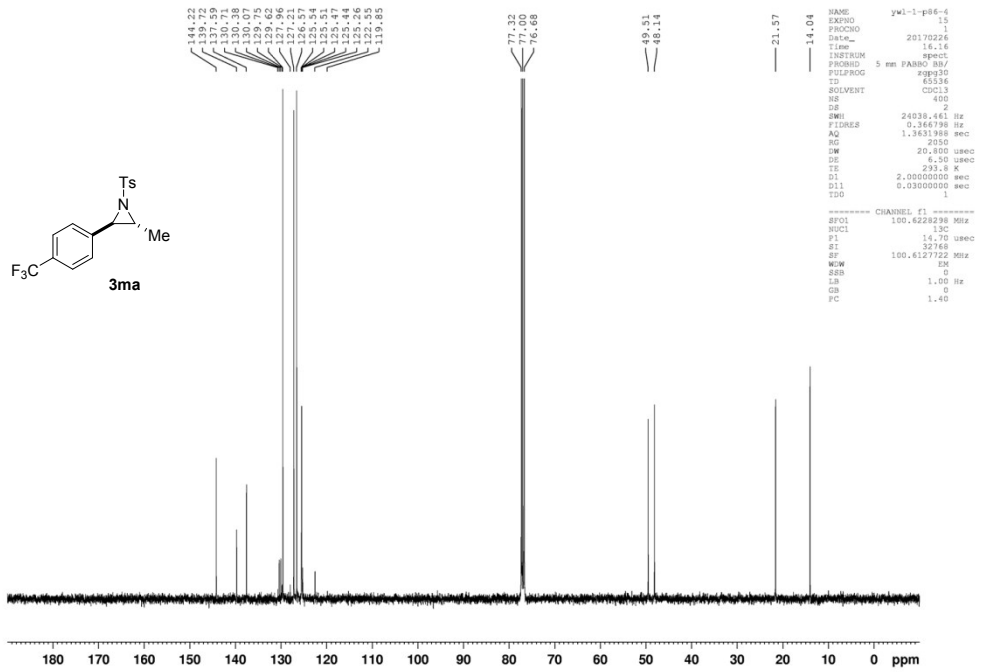
Methyl 4-((2*R,3*R**)-3-methyl-1-tosylaziridin-2-yl)benzoate (3la)**



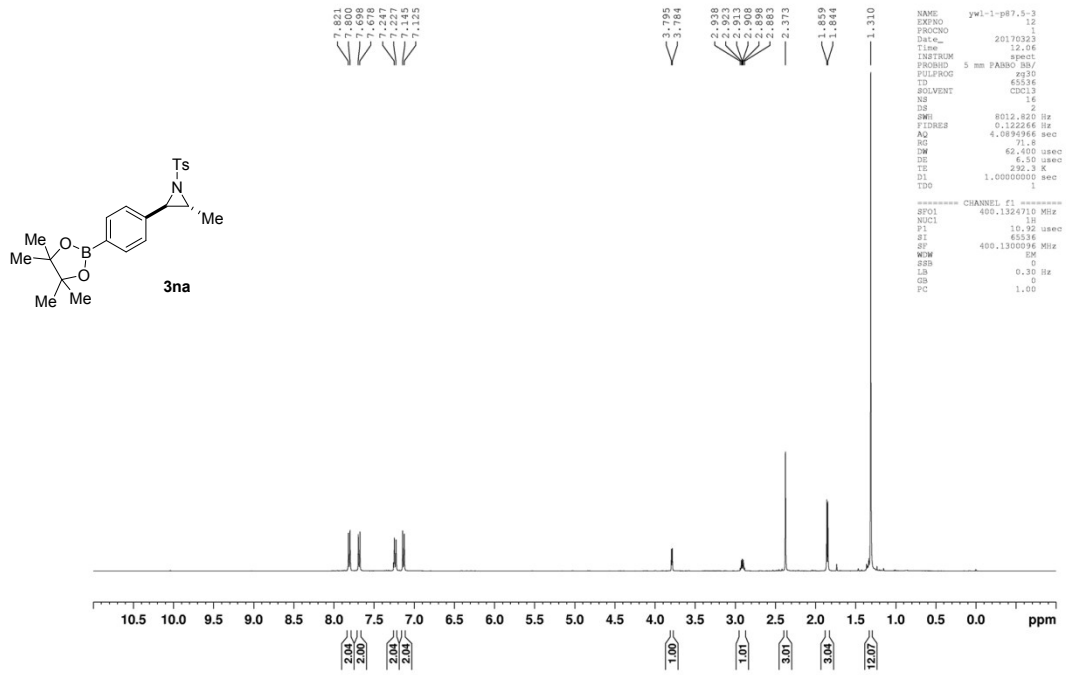


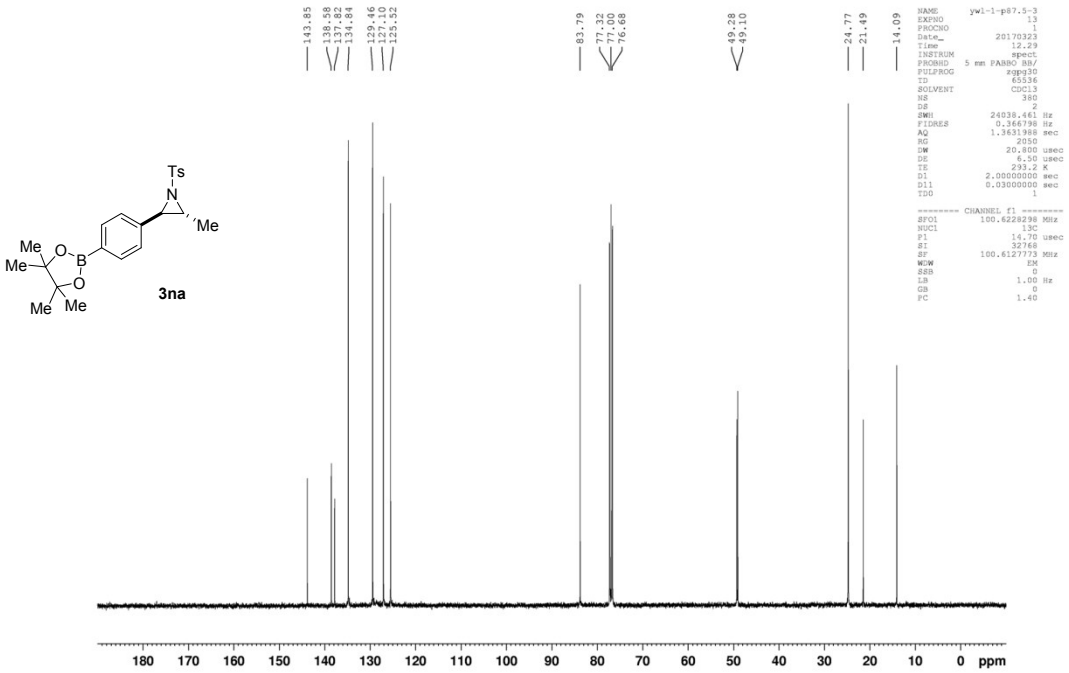
(2*R,3*R**)-2-Methyl-1-tosyl-3-(4-(trifluoromethyl)phenyl)aziridine (3ma)**



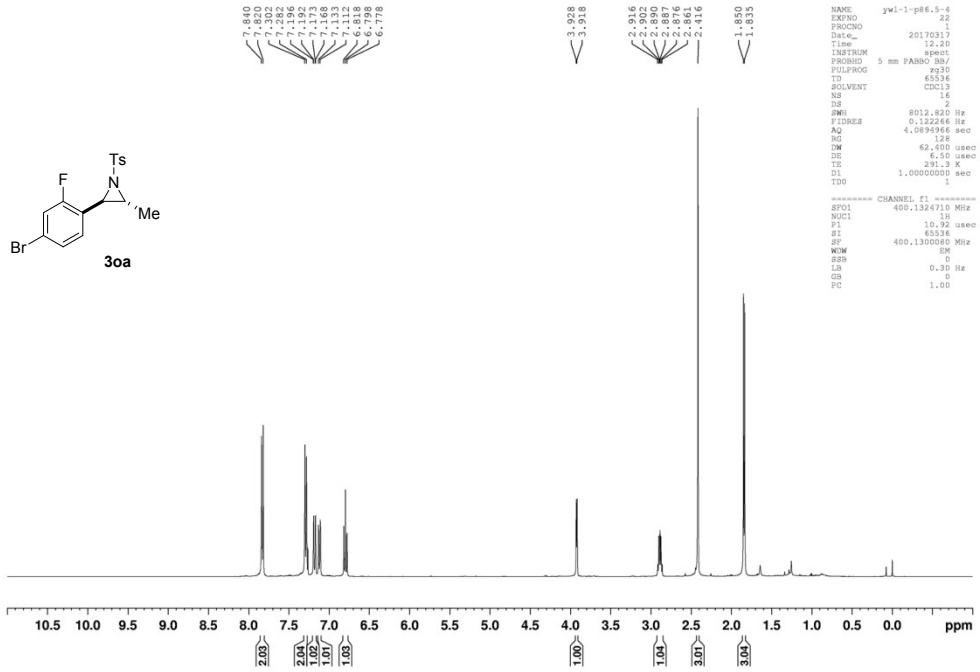


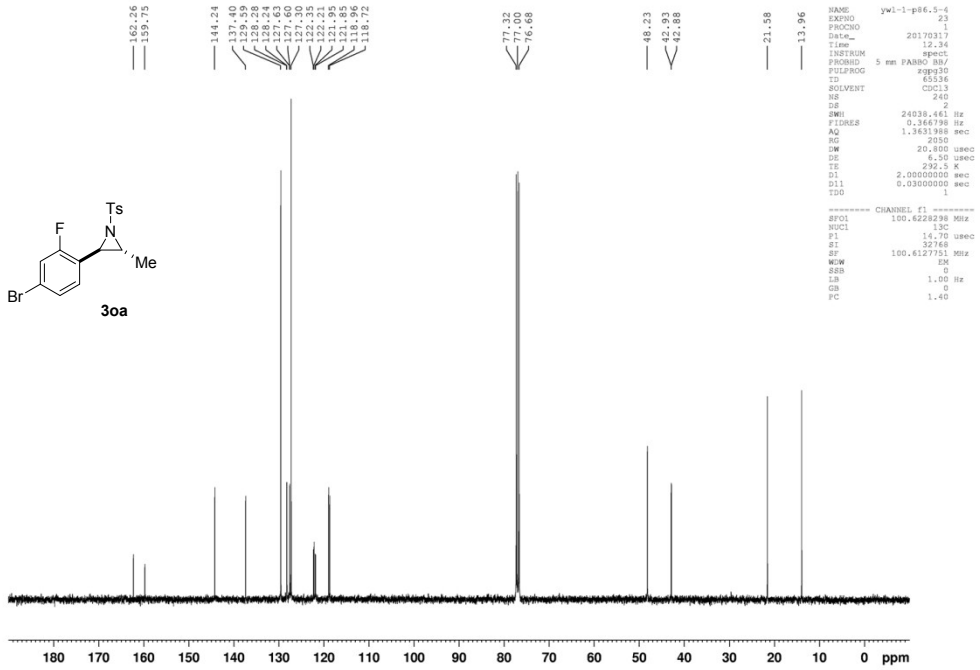
(2*R,3*R**)-2-Methyl-3-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-1-tosylaziridine (3na)**



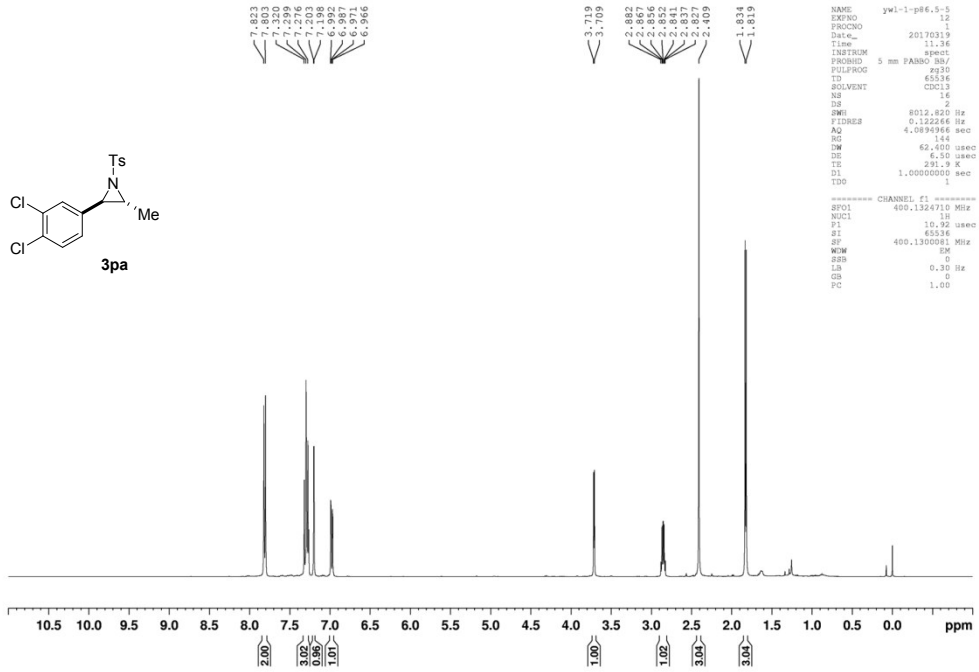


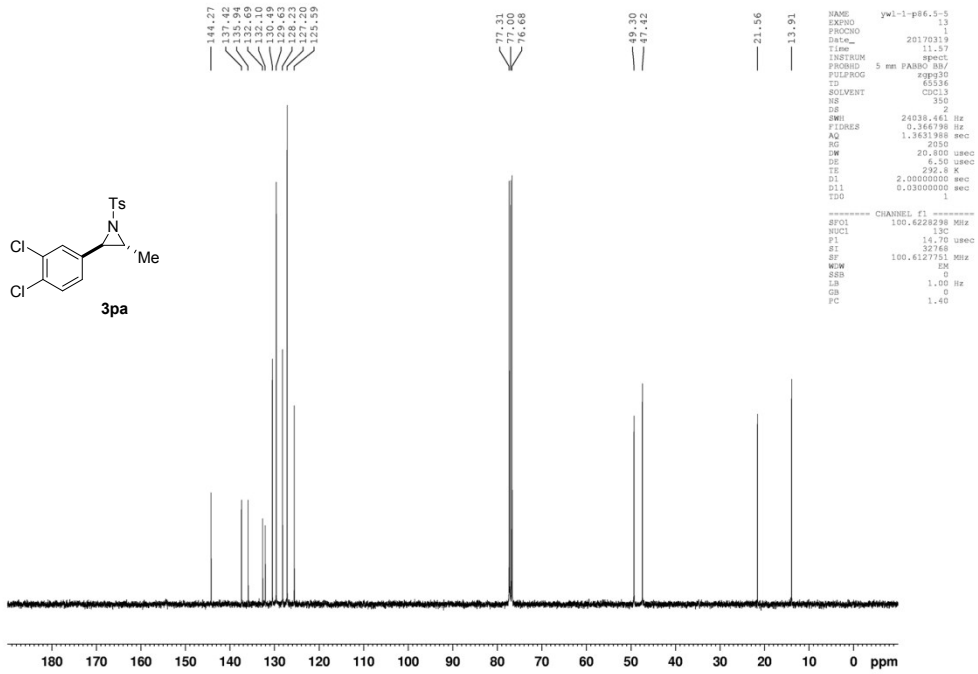
(2*R,3*R**)-2-(4-Bromo-2-fluorophenyl)-3-methyl-1-tosylaziridine (3oa)**



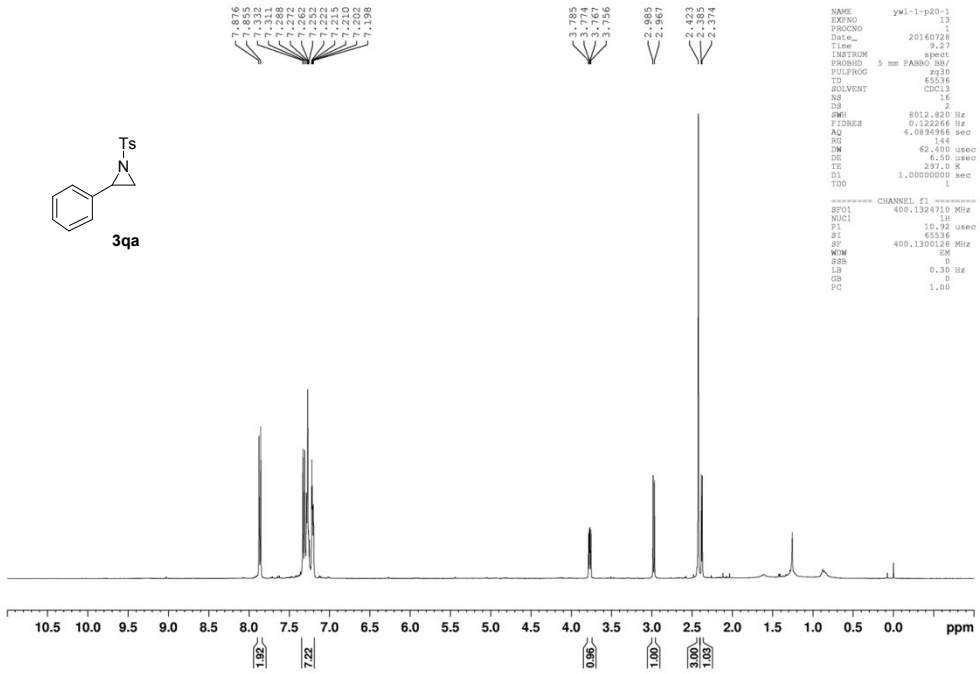


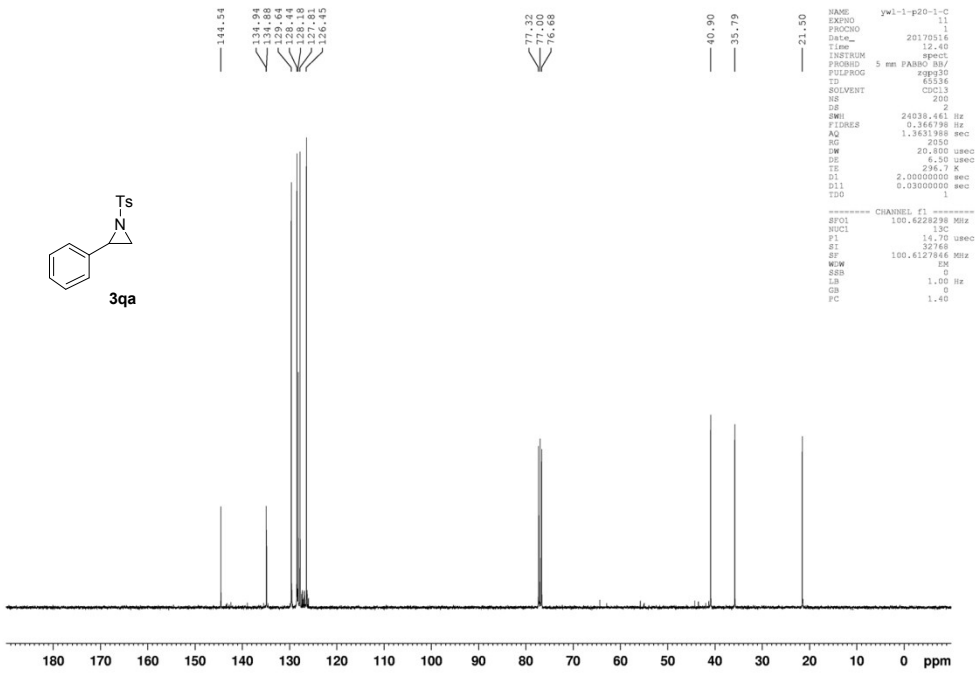
(2*R,3*R**)-2-(3,4-Dichlorophenyl)-3-methyl-1-tosylaziridine (3pa)**



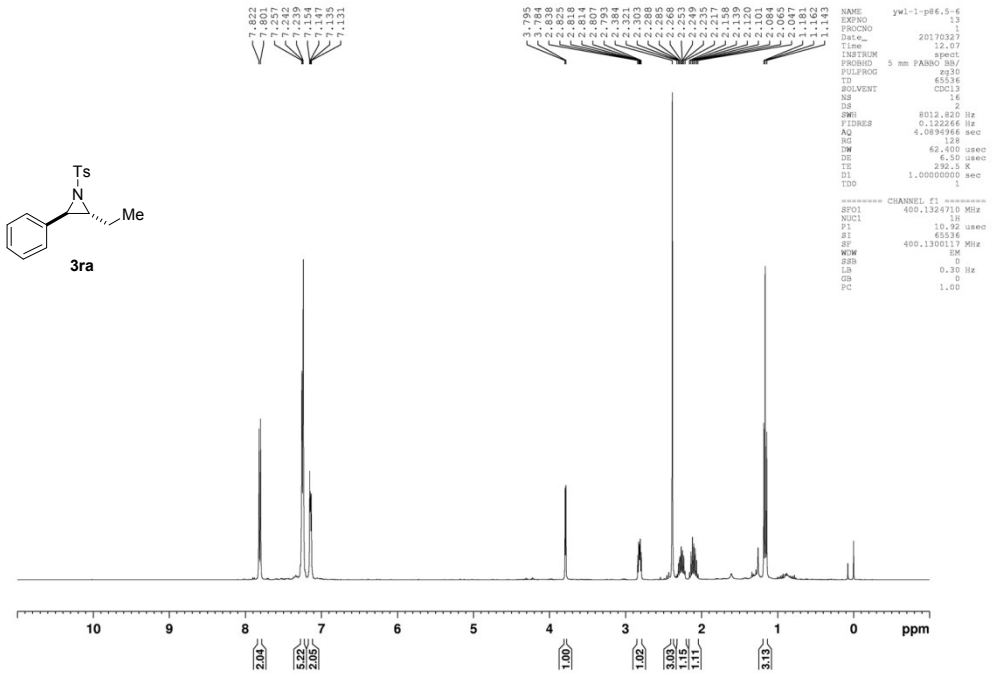


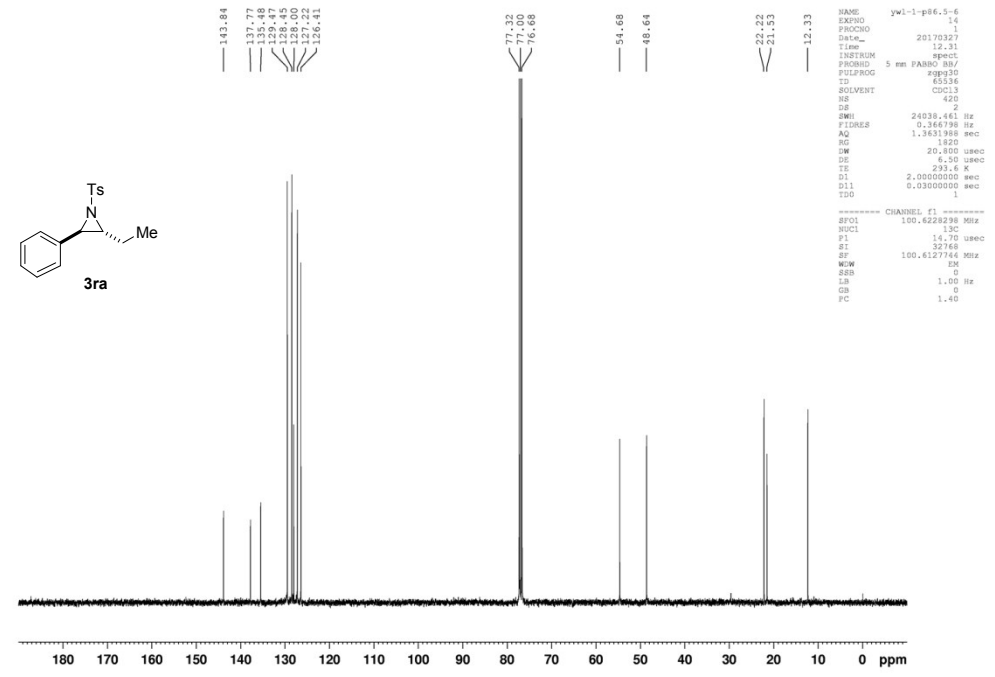
2-Phenyl-1-tosylaziridine (3qa)



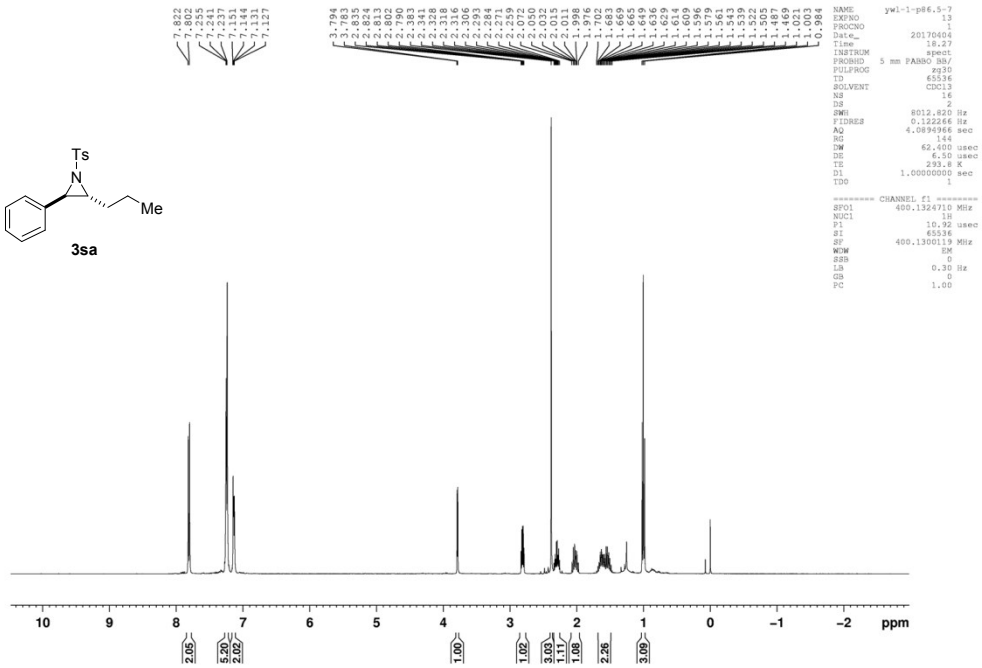


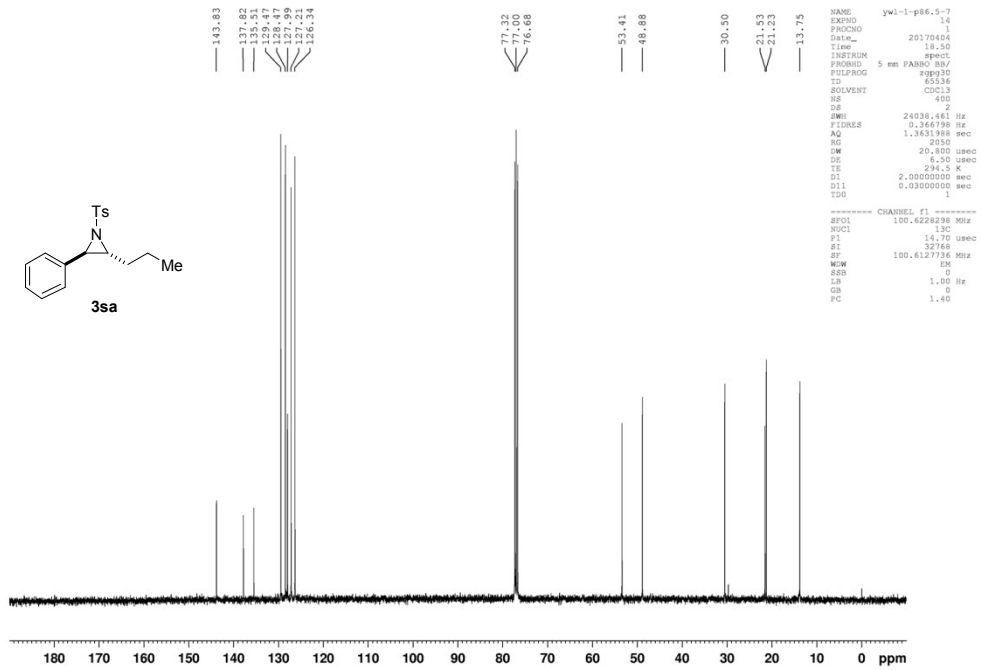
(2*R,3*R**)-2-Ethyl-3-phenyl-1-tosylaziridine (3ra)**



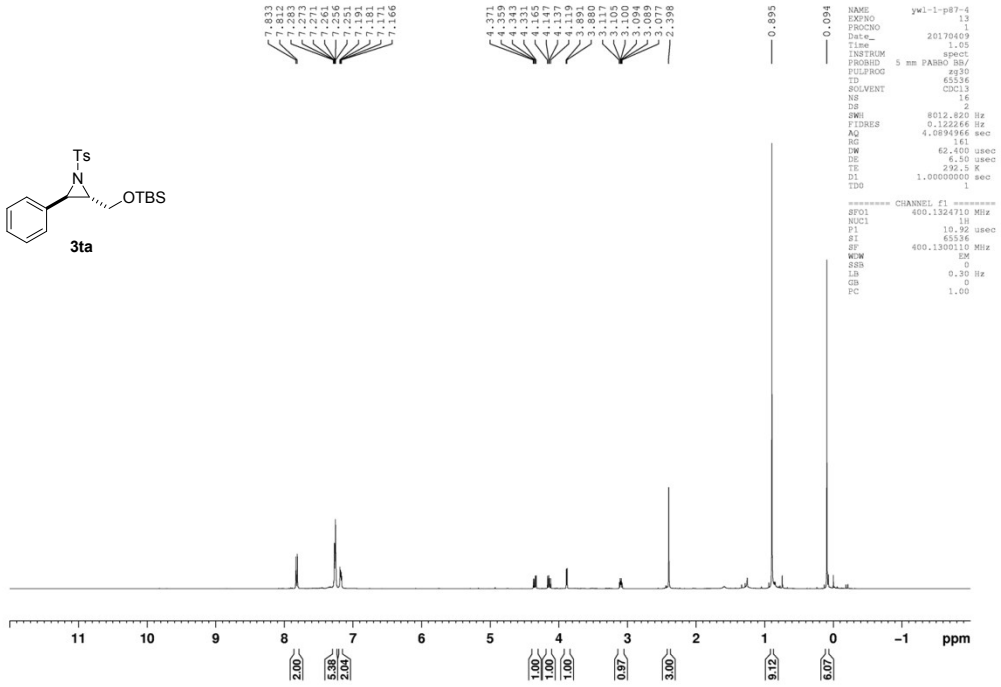


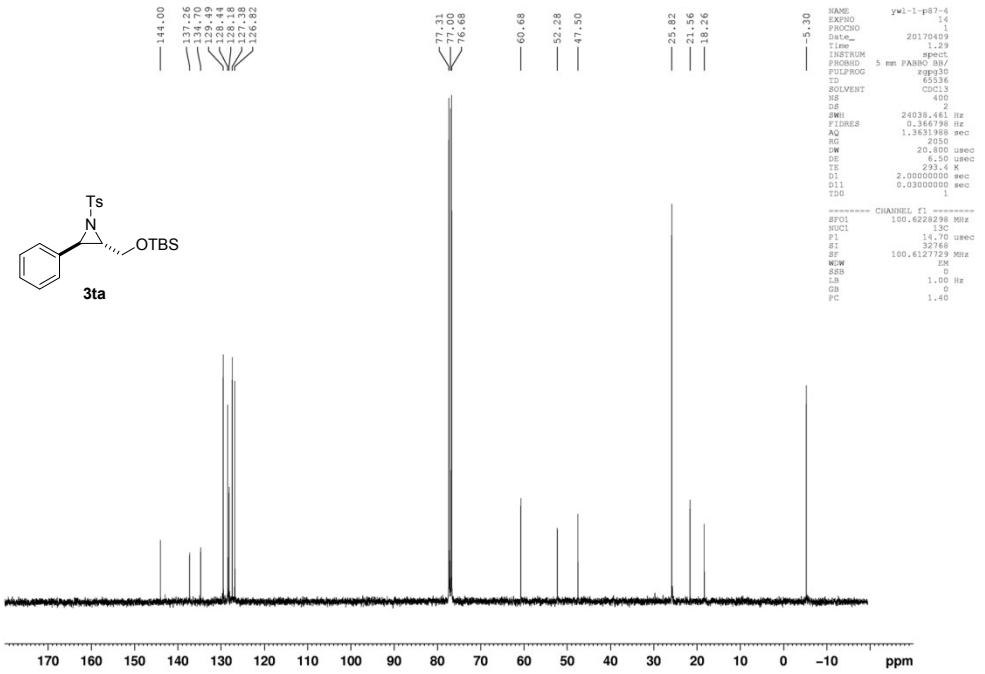
(2*R,3*R**)-2-Phenyl-3-propyl-1-tosylaziridine (3sa)**



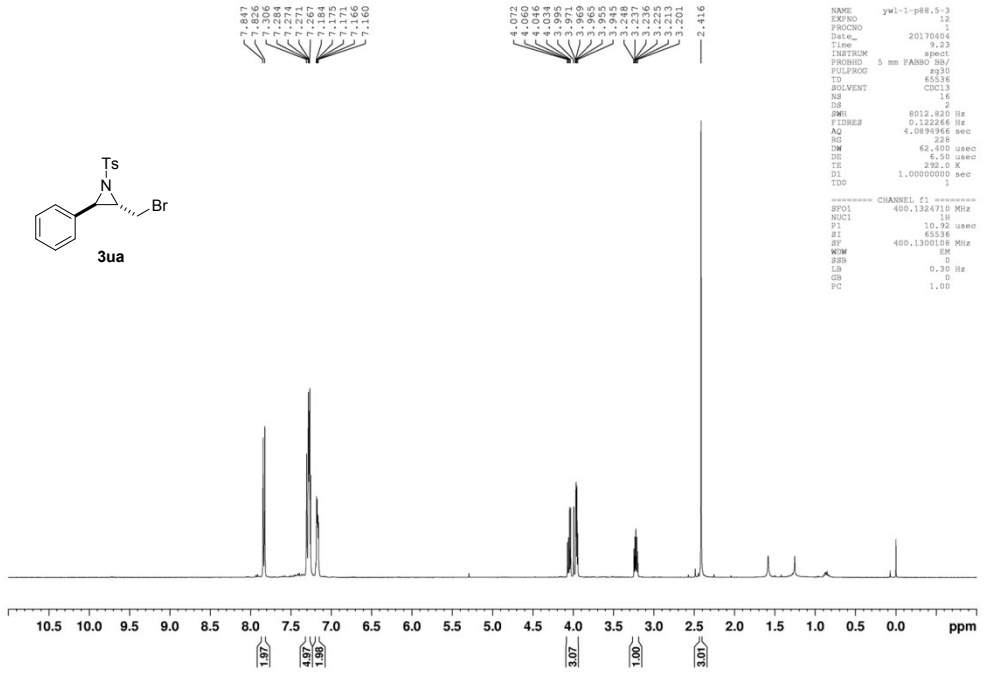


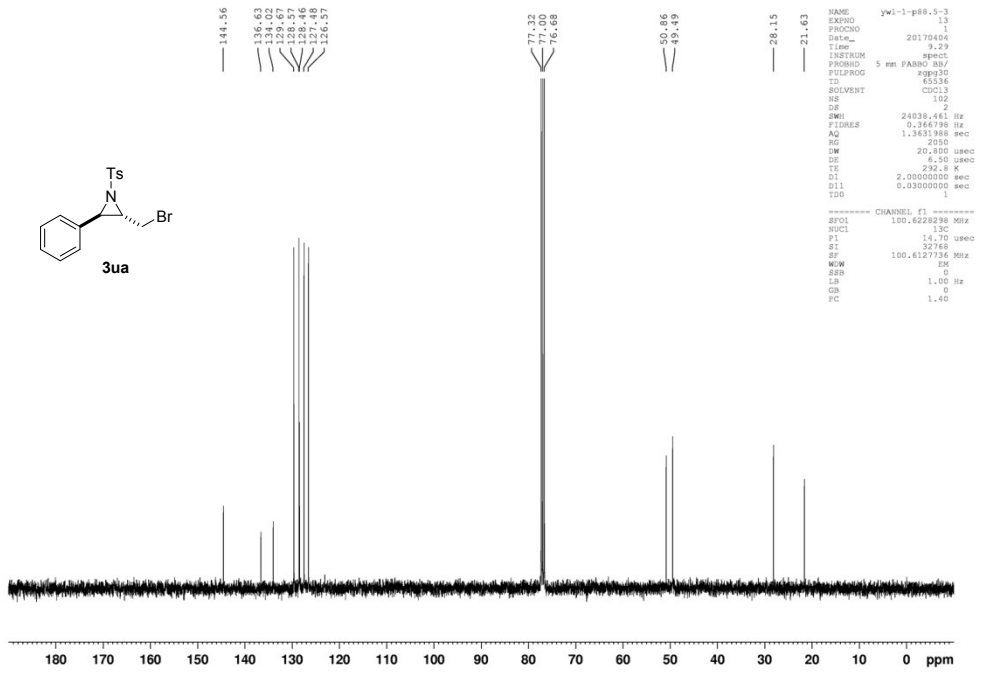
(2*S,3*R**)-2-(((tert-Butyldimethylsilyl)oxy)methyl)-3-phenyl-1-tosylaziridine (3ta)**



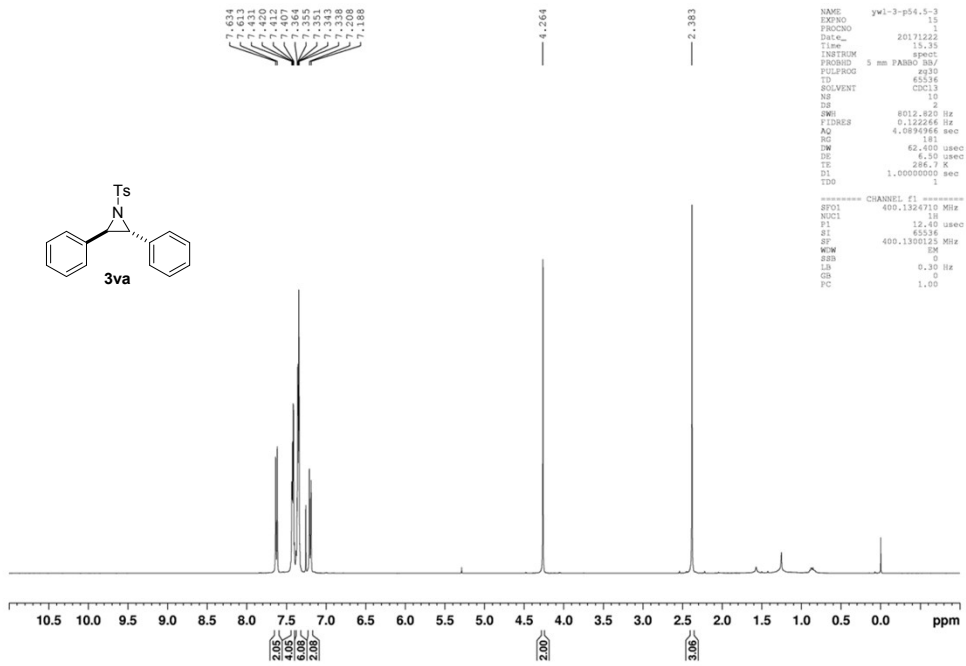


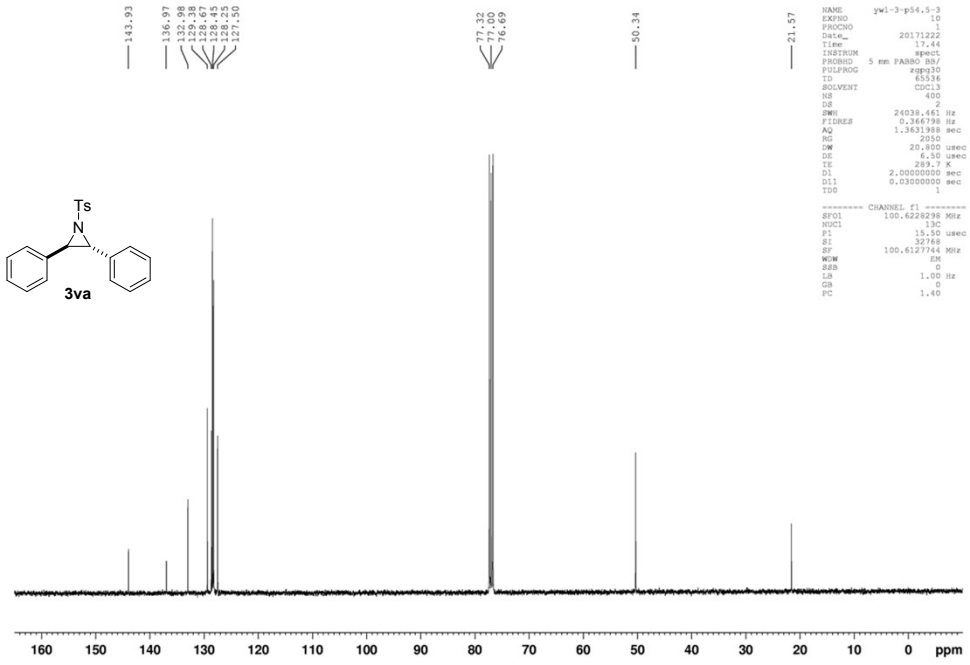
(2*S,3*R**)-2-(Bromomethyl)-3-phenyl-1-tosylaziridine (3ua)**



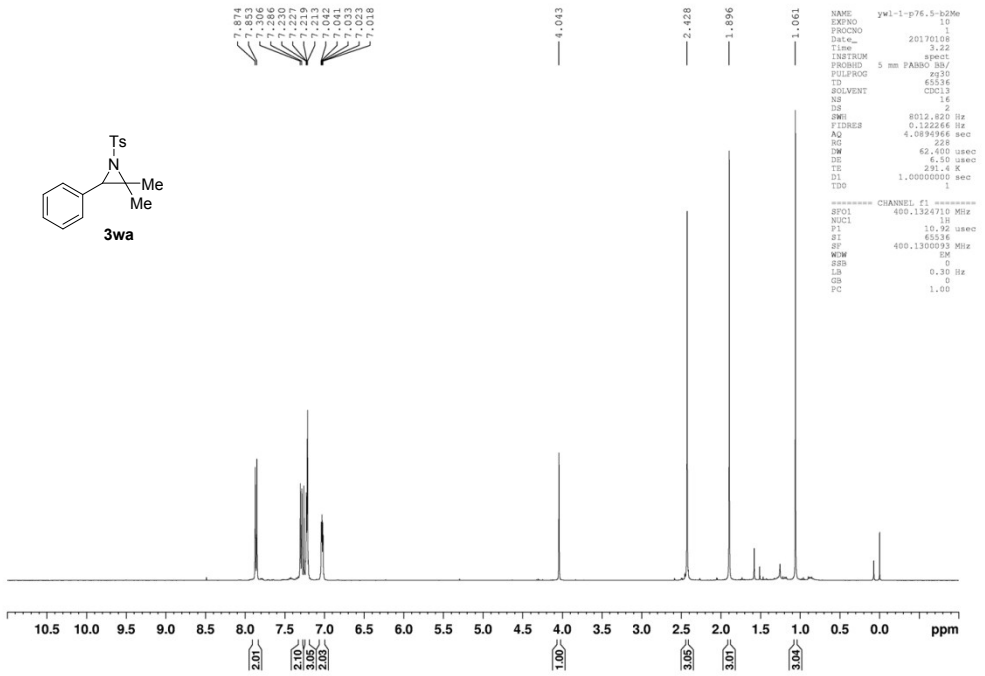


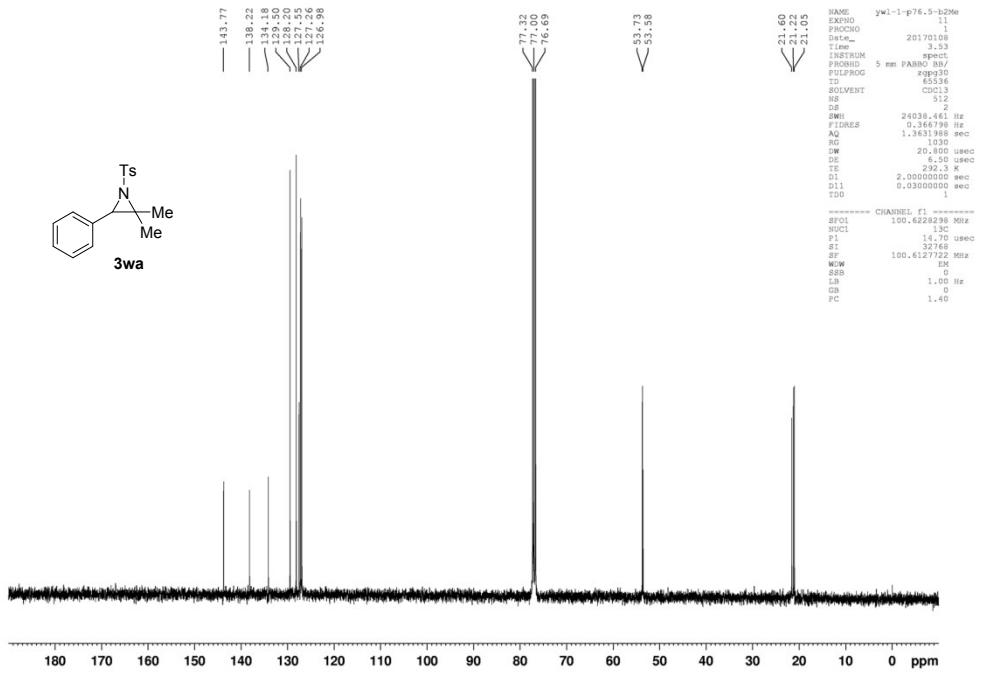
(2*R,3*R**)-2,3-diphenyl-1-tosylaziridine (3va)**



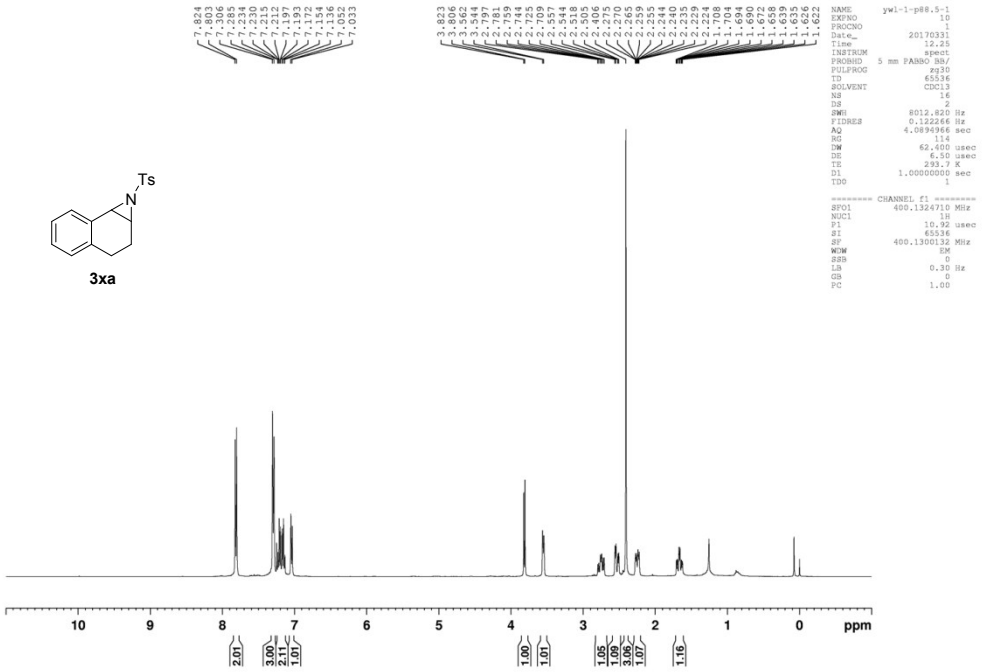


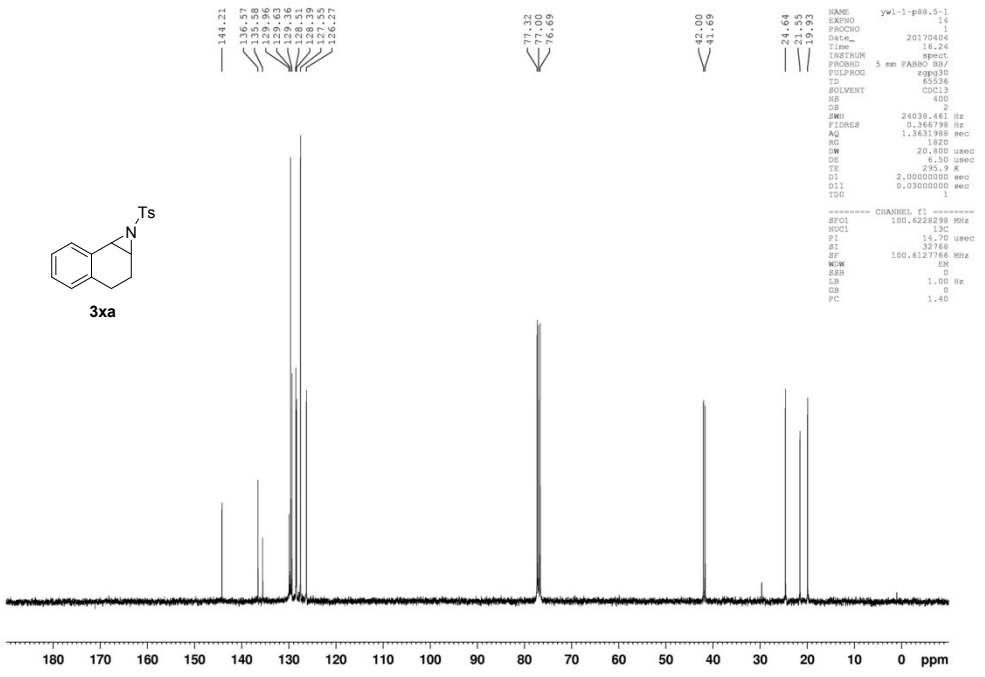
2,2-Dimethyl-3-phenyl-1-tosylaziridine (3wa)



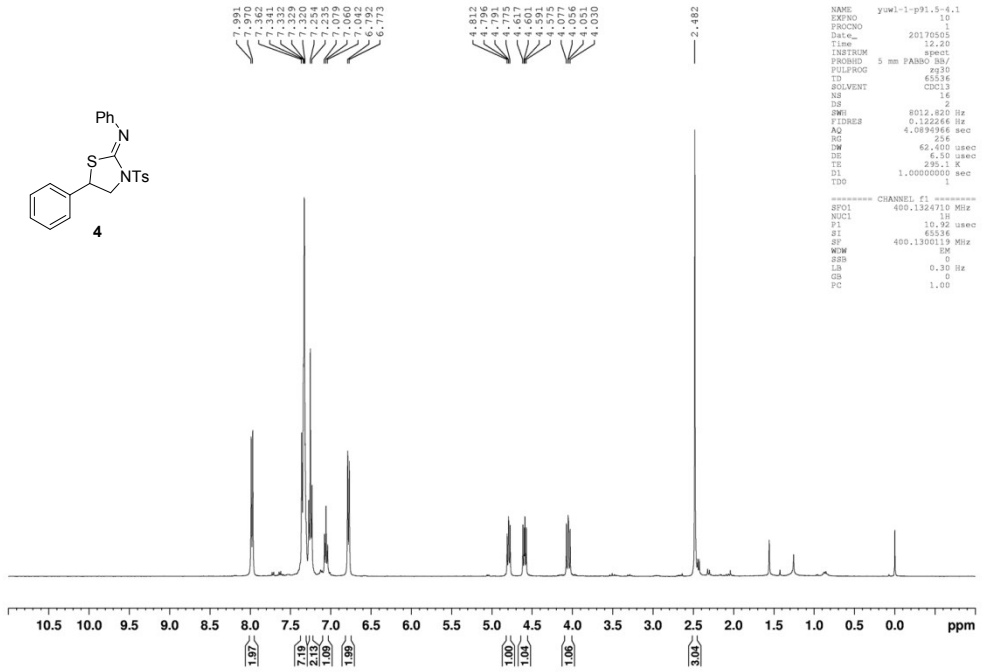


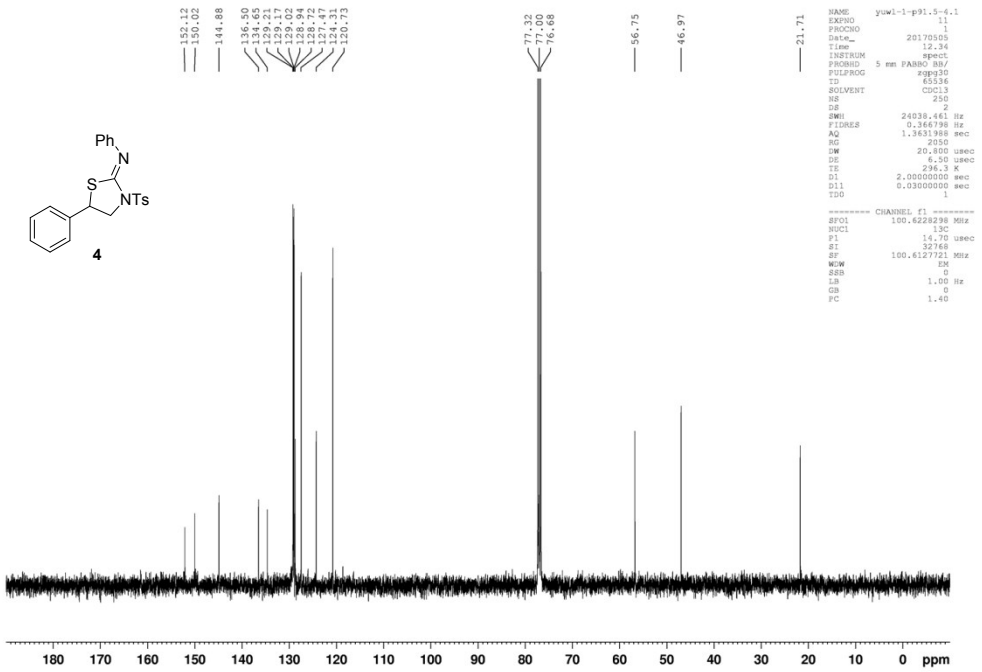
1-Tosyl-1a,2,3,7b-tetrahydro-1H-naphtho[1,2-b]azirine (3xa)



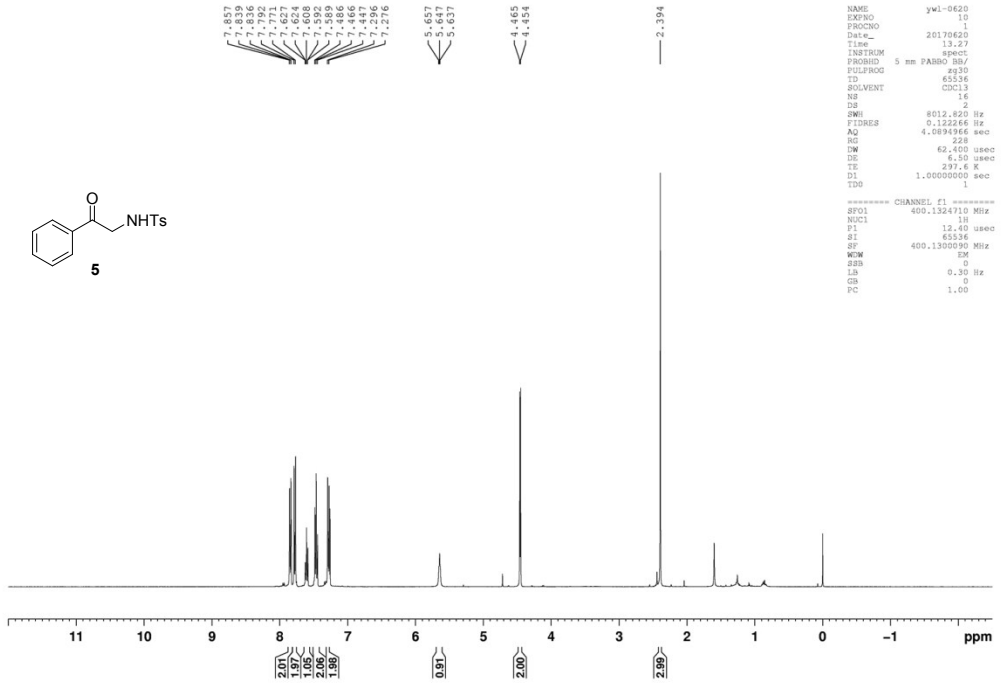


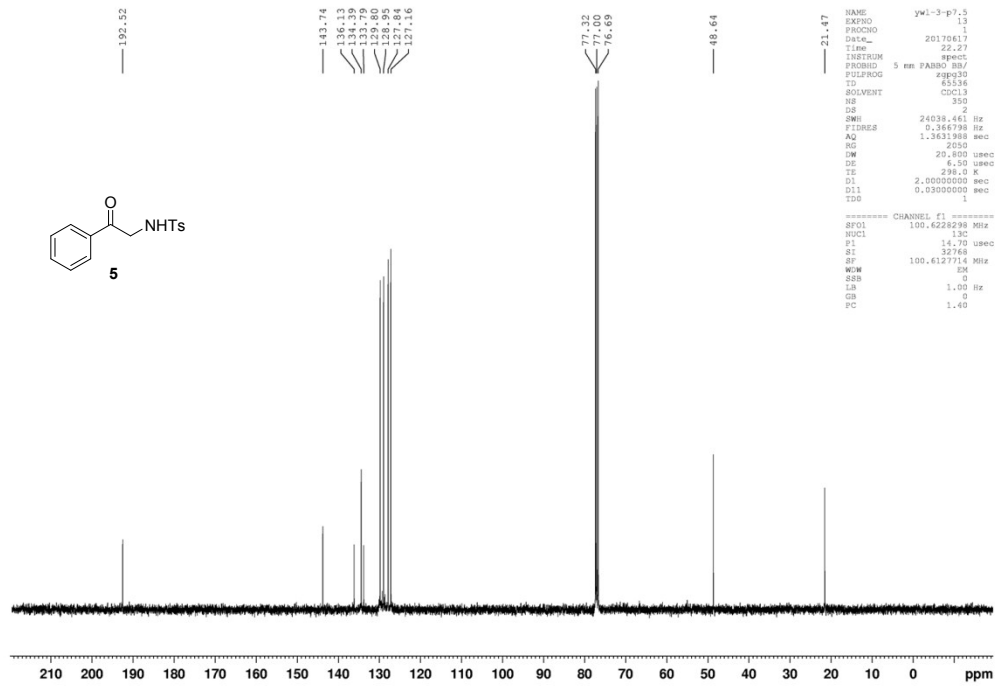
(Z)-N,5-diphenyl-3-tosylthiazolidin-2-imine (4)



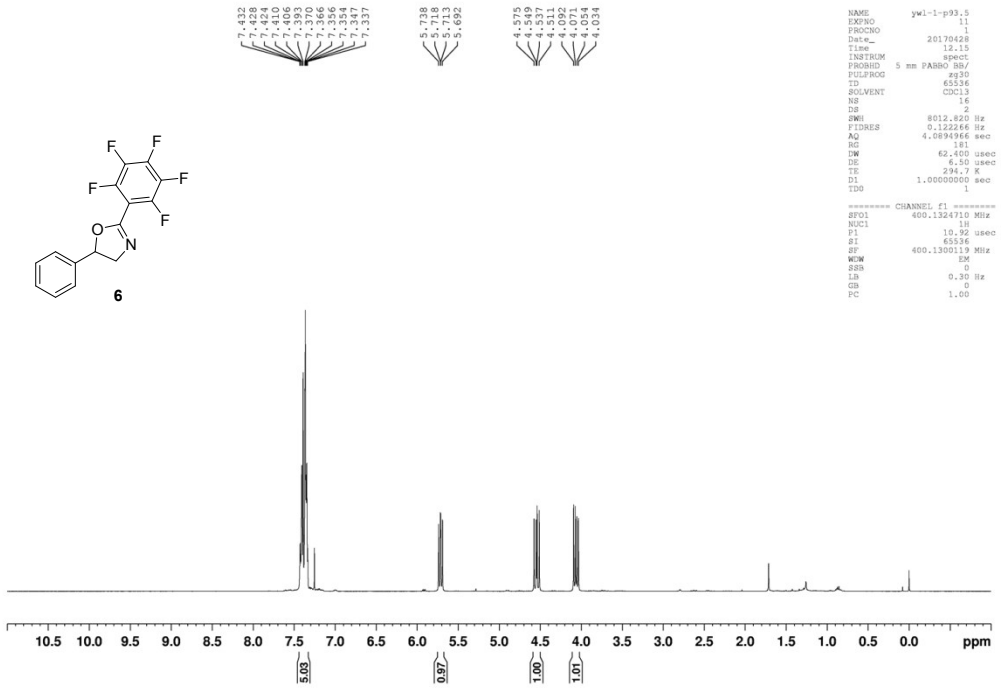


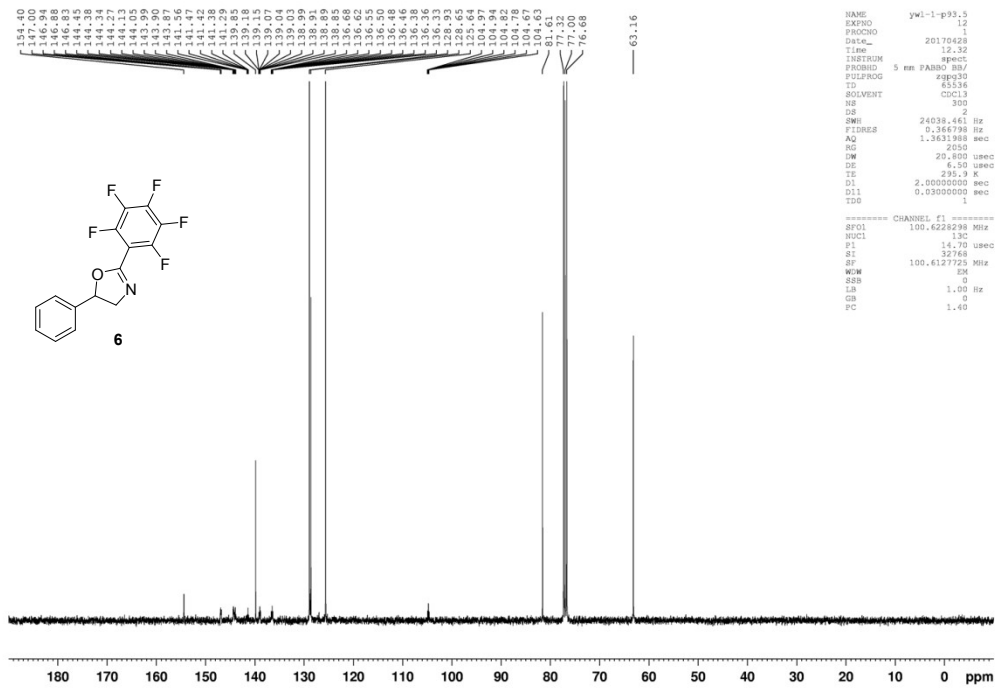
4-Methyl-N-(2-oxo-2-phenylethyl)benzenesulfonamide (5)



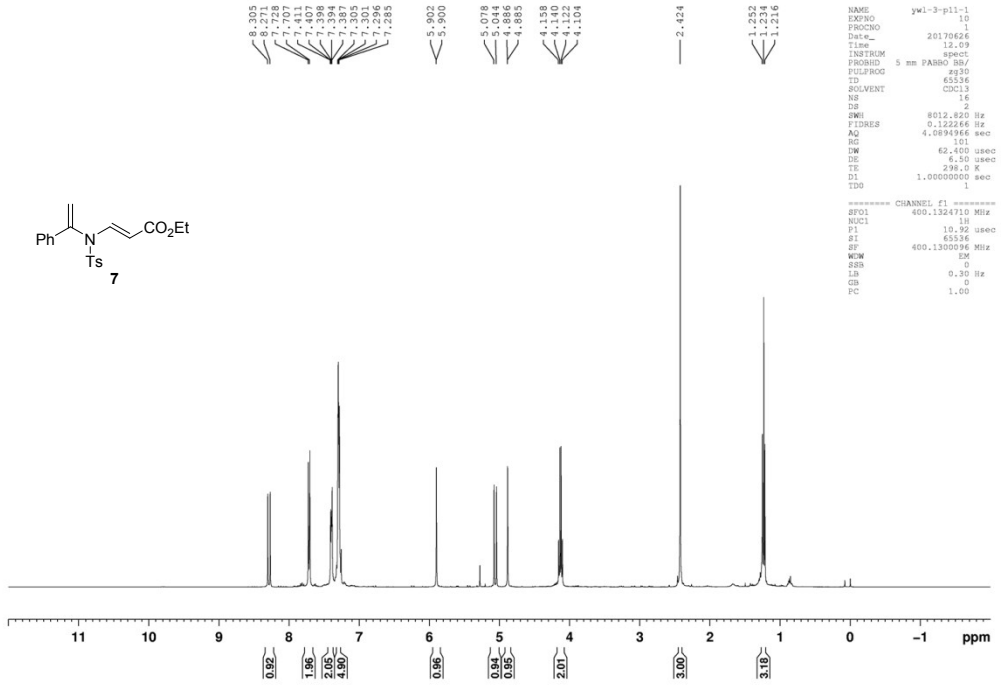


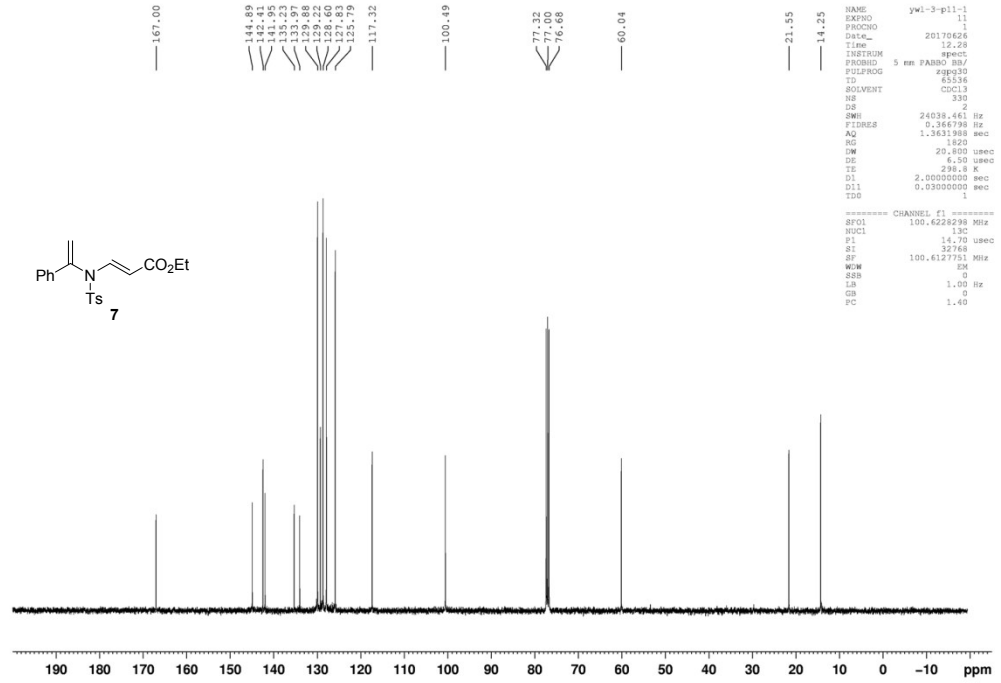
2-(Perfluorophenyl)-5-phenyl-4,5-dihydrooxazole (6)



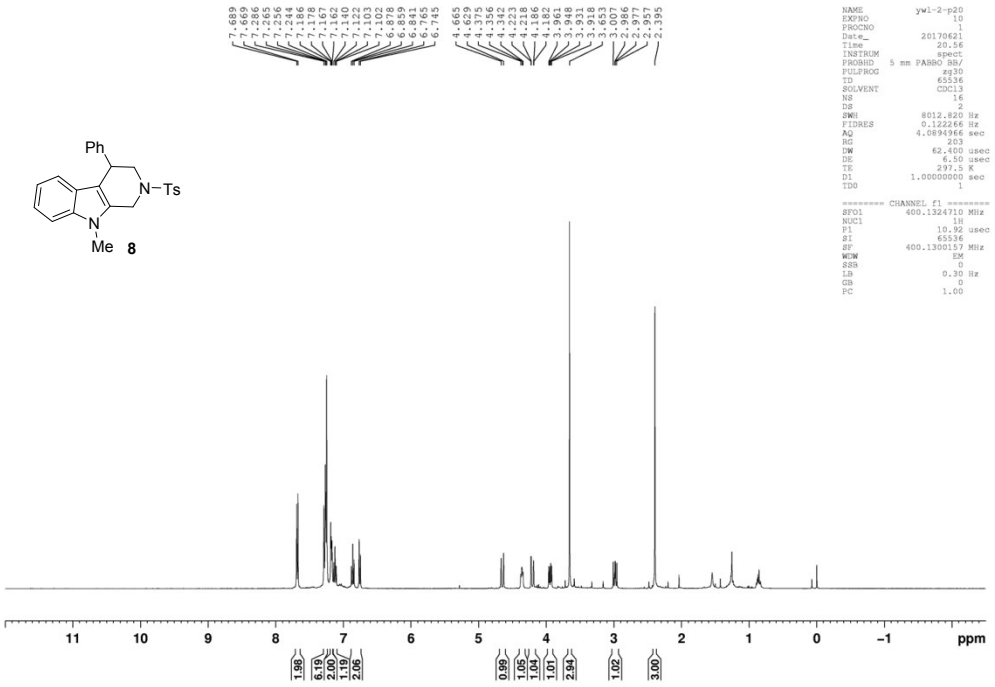


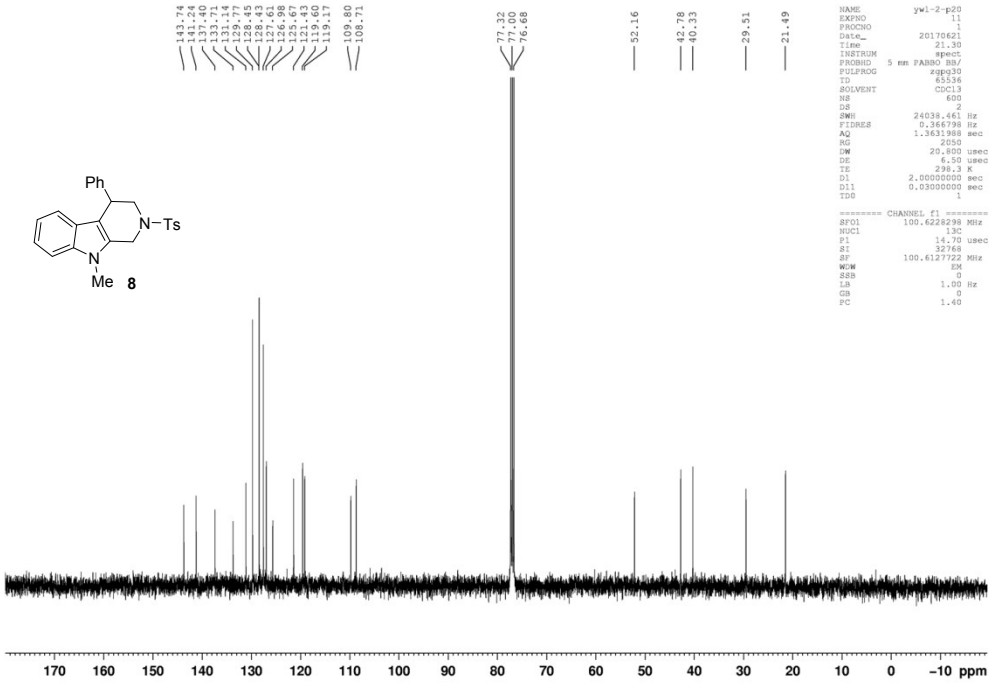
Ethyl (E)-3-((4-methyl-N-(1-phenylvinyl)phenyl)sulfonamido)acrylate (7)





9-Methyl-4-phenyl-2-tosyl-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole (8)





2,5-Diphenyl-1-tosyl-1,2,3,6-tetrahydropyridine (9)