Electronic Supplementary Information

Rhodium(III)-Catalyzed Three-component C(sp^2)-H Activation to Synthesis Amines

Min Lin,‡ Yu-Fei Wu,‡ Zheng-Qiang Liu, Cheng Liang, Qing-Hua Li* and Tang-Lin Liu*

* School of Chemistry and Chemical Engineering, Southwest University, Chongqing 400715, China, Email: liuschop@swu.edu.cn; liqinghua@swu.edu.cn

Table of contents

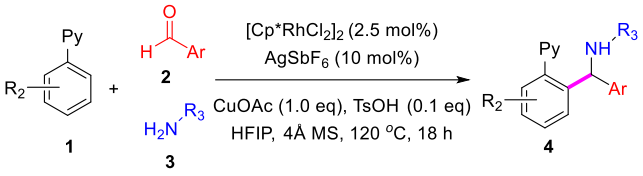
1. General information

All reactions were run under a dry argon atmosphere fitted on a glass tube or vial. For thin layer chromatography (TLC), Qingdao Haiyang Chemical was used, and compounds were visualized with a UV light at 254 nm. Further visualization was achieved by staining with iodine, or phosphomolybdic acid solution followed by heating using a heat gun. Flash chromatography separations were performed on Qingdao Haiyang Chemical 200-300 mesh silica gel. High resolution mass spectra (HRMS) were recorded on a Bruker 19A01643 (impact II) spectrometer. All new compounds were characterized by ^{1}H NMR, ^{13}C NMR, and HRMS. The known compounds were characterized by ^{1}H NMR, ^{13}C NMR. ^{1}H NMR and ^{13}C NMR spectra were recorded on a Bruker Avance 600 MHz instruments. Chemical shifts were reported in parts per million (ppm), and the residual solvent peak was used as an internal reference: proton (chloroform δ 7.26), carbon (chloroform δ 77.0) or tetramethylsilane (TMS δ 0.00) was used as a reference. Multiplicity was indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), dd (doublet of doublet), bs (broad singlet). Coupling constants were reported in Hertz (Hz).

Materials: $[Cp^*RhCl_2]_2$ (CAS Nu: 12354-85-7) was purchased from LaaJoo. The CuOAc was purchased from Alfa Aesar. Unless otherwise noted, TsOH, $AgSbF_6$ and solvent were obtained from commercial suppliers and used without further purification. 2-Aryl pyridines are synthesis via the known procedures[1-2]. All solvents were dried by the procedure of reagent purification manual.

2. General Procedure

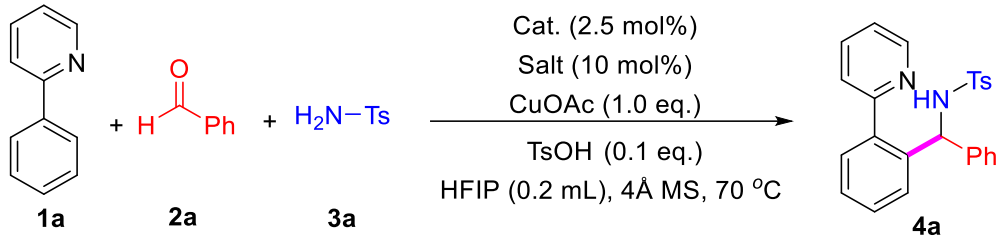
General procedure for three-component C-H bond activation of aromatics with amides and aldehydes to synthesize sulfonamides



To a vial equipped with a dried stir bar was added 2-aryl pyridines **1** (0.1 mmol), aldehydes **2** (0.2 mmol), amides **3** (0.15 mmol), $[RhCp^*Cl_2]_2$ (2.5 mol%), $AgSbF_6$ (10 mol%), CuOAc (1.0 equiv), TsOH (1.0 equiv), HFIP (0.2 mL) in the glovebox. The reaction mixture was taken outside the glovebox and allowed to stir at 120 ^oC (oil bath) for 18 h. The reaction mixture quenched with saturated aqueous Na_2CO_3 solution. The solution was extracted with CH_2Cl_2 (3*3 mL). The combined organic layer was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The crude material was concentrated in vacuo and separated on a silica gel column affording the desired product **4**.

3. Reaction optimization

Table S1. Catalyst screening of the reaction **1a**, **2a** and **3a**.

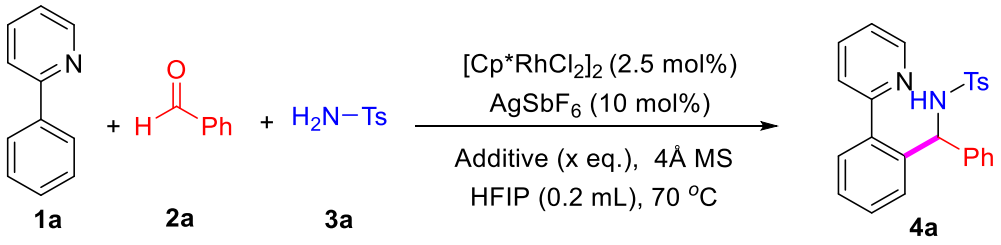


1a **2a** **3a** **4a**

Entry	Cat. (2.5 mol%)	Salt (10 mol%)	Yield
1	$[Mn(CO)_5]Br$	$AgSbF_6$	ND
2	$[Cp^*Rh(CH_3CN)_3][SbF_6]_2$	$AgSbF_6$	ND
3	$Cp^*Co(CO)I_2$	$AgSbF_6$	ND
4	**$[Cp^*RhCl_2]_2$**	**$AgSbF_6$**	**61%**
5[a]	$[Cp^*RhCl_2]_2$	$AgSbF_6$	51%
6[b]	$[Cp^*RhCl_2]_2$	$AgSbF_6$	60%
7	$[Cp^*RhCl_2]_2$	AgF_6P	43%
8	$[Cp^*RhCl_2]_2$	CF_3COOAg	51%
9	$[Cp^*RhCl_2]_2$	AgOAc	40%
10	$[Cp^*RhCl_2]_2$	$AgBF_4$	21%

Reaction conditions: 0.1 mmol of **1a**, 0.2 mmol of **2a**, 0.15 mmol of **3a**, 0.1 equiv TsOH in 0.2 mL solvent under N_2 at corresponding temperature (oil bath) for 18 h. Isolated yields. [a]2.5 mol% of $[Cp^*RhCl_2]_2$ and 20 mol% of $AgSbF_6$ catalyst were used. [b]5 mol% of $[Cp^*RhCl_2]_2$ and 10 mol% of $AgSbF_6$ catalyst were used.

Table S2. Additive screening of the reaction **1a**, **2a** and **3a**.

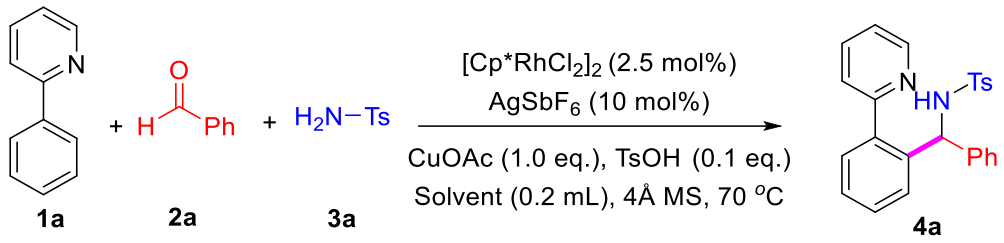


1a **2a** **3a** **4a**

Entry	Add.		Yield
1	TsOH (0.1 eq.)	CuOAc (0.5 eq.)	45%
2	**TsOH (0.1 eq.)**	**CuOAc (1.0 eq.)**	**61%**
3	TsOH (0.1 eq.)	CuOAc (2.0 eq.)	43%
4	TsOH (0.5 eq.)	CuOAc (1.0 eq.)	21%
5	CH_3CH_2COOH (0.1 eq.)	CuOAc (1.0 eq.)	trace
6	TsOH (0.1 eq.)	$Cu(OTf)_2$ (1.0 eq.)	ND
7	TsOH (0.1 eq.)	$Cu(OAc)_2$ (1.0 eq.)	ND
8	TsOH (0.1 eq.)	CuCl (1.0 eq.)	trace
9	TsOH (0.1 eq.)	Cu_2O (1.0 eq.)	49%
10	TsOH (0.1 eq.)	$[Cu(CH_3CN)_4][PF_6]$ (1.0 eq.)	trace

Reaction conditions: 0.1 mmol of **1a**, 0.2 mmol of **2a**, 0.15 mmol of **3a**, 0.1 equiv TsOH in 0.2 mL solvent under N_2 at corresponding temperature (oil bath) for 18 h. Isolated yields.

Table S3. Solvent screening of the reaction **1a**, **2a** and **3a**.

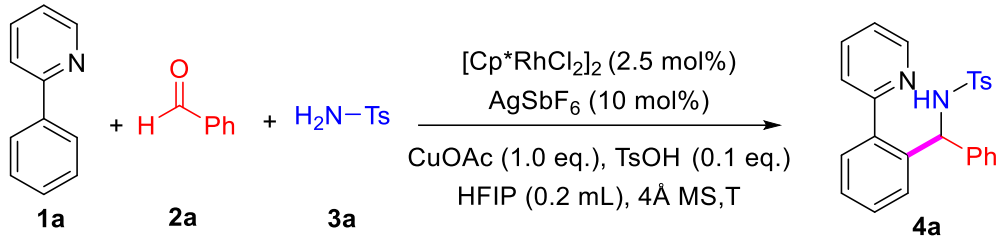


1a **2a** **3a** **4a**

Entry	Solvent	Yield
1	CH_2Cl_2	ND
2	DCE	trace
3	Toluene	33%
4	1,4-Dioxane	trace
5	THF	ND
6	CH_3CH_2OH	21%
7	CF_3CH_2OH	31%
7	t-BuOH	44%
8	t-Amyl-OH	trace
9	**HFIP**	**61%**

Reaction conditions: 0.1 mmol of **1a**, 0.2 mmol of **2a**, 0.15 mmol of **3a**, 0.1 equiv TsOH in 0.2 mL solvent under N_2 at corresponding temperature (oil bath) for 18 h. Isolated yields.

Table S4. Temperature screening of the reaction **1a**, **2a** and **3a**.



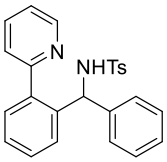
1a **2a** **3a** **4a**

Entry	T/°C	Yield
1	**120**	**71%**
2	110	70%
3	100	65%
4	70	61%
5	60	43%

Reaction conditions: 0.1 mmol of **1a**, 0.2 mmol of **2a**, 0.15 mmol of **3a**, 0.1 equiv TsOH in 0.2 mL solvent under N_2 at corresponding temperature (oil bath) for 18 h. Isolated yields.

4.The analytical and spectral characterization data

4-Methyl-N-(phenyl(2-(pyridin-2-yl)phenyl)methyl)benzenesulfonamide (4a)[3]

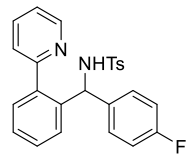


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 29.4 mg (71% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.76 (d, *J* = 9.5 Hz, 1H), 8.52 (d, *J* = 3.5 Hz, 1H), 7.61 (d, *J* = 8.1 Hz, 2H), 7.44 (t, *J* = 7.7 Hz, 1H), 7.26-7.20 (m, 2H), 7.10-7.00 (m, 5H), 6.95-6.86 (m, 6H), 5.71 (d, *J* = 9.5 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.9, 147.6, 142.3, 140.8, 140.0, 139.6, 138.9, 136.9, 131.4, 131.1, 129.1, 128.2, 127.6, 127.4, 127.0, 126.2, 126.0, 124.5, 121.9, 61.4, 21.4.

N-((4-Fluorophenyl)(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzenesulfonamide (4b)[3]



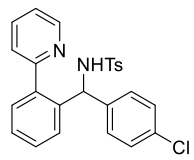
The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 23.3 mg (54% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.88 (d, *J* = 9.5 Hz, 1H), 8.52 (d, *J* = 4.8 Hz, 1H), 7.60 (d, *J* = 7.8 Hz, 2H), 7.49 (t, *J* = 7.8 Hz, 1H), 7.28-7.25 (m, 1H), 7.22 (d, *J* = 7.5 Hz, 1H), 7.12-7.03 (m, 4H), 6.98 (d, *J* = 7.6 Hz, 1H), 6.91 (t, *J* = 6.9 Hz, 3H), 6.61 (t, *J* = 8.5 Hz, 2H), 5.65 (d, *J* = 9.1 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 161.3 (d, *J* = 244.9 Hz), 159.8, 147.5, 142.4, 139.7, 139.5, 138.8, 137.1, 136.6 (d, *J* = 3.2 Hz), 131.5, 131.1, 129.1, 128.3, 127.8, 127.6 (d, *J* = 8.0 Hz), 126.9, 124.4, 122.0, 114.1 (d, *J* = 21.4 Hz), 61.0, 21.4.

^{19}F NMR (565 MHz, $CDCl_3$) δ -116.96.

N-((4-Chlorophenyl)(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzenesulfonamide (4c)[3]

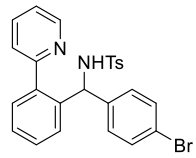


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 33.6 mg (75% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.88 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 4.3 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 2H), 7.50 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.28-7.22 (m, 2H), 7.14-7.10 (m, 1H), 7.09-7.05 (m, 3H), 6.98 (d, *J* = 7.7 Hz, 1H), 6.93 (d, *J* = 7.9 Hz, 1H), 6.89 (s, 4H), 5.64 (d, *J* = 9.5 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 147.5, 142.5, 139.5, 139.4, 139.4, 138.8, 137.2, 132.1, 131.5, 131.2, 129.1, 128.3, 127.9, 127.5, 127.4, 126.9, 124.5, 122.1, 61.1, 21.4.

N-((4-Bromophenyl)(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzenesulfonamide (4d)[3]

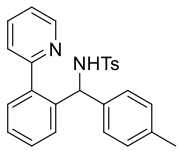


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 41.3 mg (84% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.93 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 4.8 Hz, 1H), 7.60 (d, *J* = 7.8 Hz, 2H), 7.51 (t, *J* = 7.8 Hz, 1H), 7.23 (d, *J* = 7.8 Hz, 1H), 7.13 (t, *J* = 6 Hz, 1H), 7.10-7.02 (m, 6H), 6.97 (d, *J* = 7.8 Hz, 1H), 6.93 (d, *J* = 8.4 Hz, 1H), 6.83 (d, *J* = 7.8 Hz, 2H), 5.61 (d, *J* = 9.6 Hz, 1H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 147.5, 142.5, 140.0, 139.4,139.3, 138.6, 137.2, 131.5, 131.2, 130.4, 129.2, 128.3, 128.0, 127.8, 126.9, 124.5, 122.2, 120.2, 61.2, 21.4.

4-Methyl-N-((2-(pyridin-2-yl)phenyl)(p-tolyl)methyl)benzenesulfonamide (4e)[3]

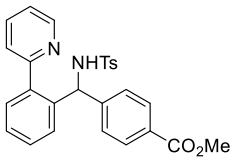


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 27.0 mg (63% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.59 (d, *J* = 9.0 Hz, 1H), 8.53 (d, *J* = 4.8 Hz, 1H), 7.60 (d, *J* = 7.8 Hz, 2H), 7.46 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.28-7.18 (m, 2H), 7.10-7.00 (m, 5H), 6.92 (d, *J* = 7.8 Hz, 1H), 6.80 (d, *J* = 8.4 Hz, 2H), 6.73 (d, *J* = 7.8 Hz, 2H), 5.68 (d, *J* = 8.4 Hz, 1H), 2.33 (s, 3H), 2.12 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.9, 147.6, 142.3, 139.9, 139.5, 138.7, 137.7, 136.9, 135.7, 131.3, 130.9, 129.1, 128.2, 128.1, 127.6, 127.0, 126.0, 124.5, 121.9, 61.1, 21.4, 20.8.

Methyl 4-(((4-methylphenyl)sulfonamido)(2-(pyridin-2-yl)phenyl)methyl)-benzoate (4f)[4]

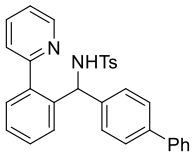


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 30.7 mg (65% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 9.02 (d, *J* = 9.6 Hz, 1H), 8.51 (d, *J* = 3.0 Hz, 1H), 7.60 (dd, *J* = 13.8 Hz, 8.4 Hz, 4H), 7.44 (td, *J* = 7.2 Hz, 1.8 Hz, 1H), 7.32-7.19 (m, 2H), 7.12-6.98 (m, 7H), 6.89 (d, *J* = 7.8 Hz, 1H), 5.70 (d, *J* = 9.6 Hz, 1H), 3.82 (s, 3H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.8, 159.6, 147.5, 146.2, 142.5, 139.4, 139.3, 137.2, 131.5, 131.4, 129.1, 128.7, 128.4, 128.0, 126.9, 126.0, 124.5, 122.2, 61.6, 51.9, 21.4.

N-([1,1'-Biphenyl]-4-yl(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzene-sulfonamide (4g)[5]

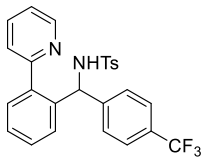


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 31.9 mg (65% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.72 (d, *J* = 9.0 Hz, 1H), 8.54 (d, *J* = 3.0 Hz, 1H), 7.63 (d, *J* = 7.8 Hz, 2H), 7.44-7.39 (m, 3H), 7.36 (t, *J* = 7.2 Hz, 2H), 7.30-7.20 (m, 3H), 7.16 (d, *J* = 8.4 Hz, 2H), 7.13-7.02 (m, 5H), 6.99 (d, *J* = 8.4 Hz, 2H), 6.91 (d, *J* = 7.8 Hz, 1H), 5.75 (d, *J* = 9 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.9, 147.6, 142.4, 140.8, 140.0, 139.9, 139.6, 139.0, 138.9, 136.9, 131.4, 131.0, 129.1, 128.6, 128.3, 127.7, 127.1, 127.0, 126.8, 126.5, 126.1, 124.5, 121.9, 61.2, 21.4.

4-Methyl-N-((2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)benzene-sulfonamide (4h)[5]



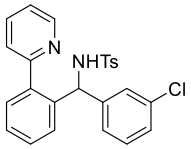
The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 43.4 mg (90% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.90 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 4.8 Hz, 1H), 7.61 (d, *J* = 7.8 Hz, 2H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.33-7.21 (m, 2H), 7.17 (d, *J* = 7.8 Hz, 2H), 7.13-7.05 (m, 6H), 7.00 (d, *J* = 7.8 Hz, 1H), 6.88 (d, *J* = 7.8 Hz, 1H), 5.71 (d, *J* = 9.6 Hz, 1H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.6, 147.6, 145.1, 142.6, 139.4, 139.2, 138.7, 137.1, 131.5, 131.3, 129.2, 128.4, 128.2 (q, *J* = 31.4 Hz), 128.1, 126.9, 126.4, 124.5, 124.2 (q, *J* = 3.9 Hz), 123.4 (q, *J* = 271.8 Hz),122.2, 61.3, 21.4.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.60.

N-((3-Chlorophenyl)(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzenesulfonamide (4i)[4]

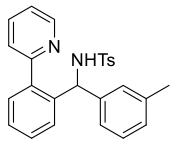


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 33.6 mg (75% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.86 (d, *J* = 9.6 Hz, 1H), 8.55 (d, *J* = 5.4 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 2H), 7.49 (td, *J* = 7.7 Hz, 1.8 Hz, 1H), 7.28 (d, *J* = 7.6 Hz, 1H), 7.23 (d, *J* = 7.2 Hz, 1H), 7.15-7.04 (m, 4H), 6.98 (d, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 7.8 Hz, 1H), 6.90-6.81 (m, 4H), 5.65 (d, *J* = 9.6 Hz, 1H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 147.6, 143.0, 142.5, 139.5, 139.3, 138.8, 137.1, 133.5, 131.4, 131.2, 129.1, 128.6, 128.3, 128.0, 126.9, 126.4, 126.3, 124.4, 124.4, 122.1, 61.2, 21.4.

4-Methyl-N-((2-(pyridin-2-yl)phenyl)(m-tolyl)methyl)benzenesulfonamide (4j)[5]

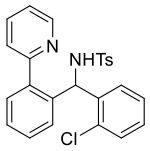


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 22.3 mg (52% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.63 (d, *J* = 9.6 Hz, 1H), 8.54 (d, *J* = 4.8 Hz, 1H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.45 (t, *J* = 6 Hz, 1H), 7.24 (d, *J* = 7.2 Hz, 1H), 7.20 (d, *J* = 6 Hz, 1H), 7.12-6.98 (m, 5H), 6.88 (d, *J* = 7.8 Hz, 1H), 6.80 (t, *J* = 7.8 Hz, 1H), 6.71 (d, *J* = 11.4 Hz, 2H), 6.65 (d, *J* = 7.8 Hz, 1H), 5.67 (d, *J* = 8.4 Hz, 1H), 2.33 (s, 3H), 2.05 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 160. 0, 147.5, 142.3, 140.6, 140.0, 139.6, 138.9, 136.8, 131.2, 130.9, 129.1, 128.2, 127.6, 127.3, 127.0, 126.9, 126.7, 124.5, 123.3, 121.8, 61.3, 21.3, 21.1.

N-((2-chlorophenyl)(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzenesulfonamide(4k)



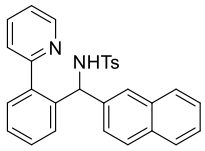
The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 29.1 mg (65% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.65 (d, *J* = 4.9 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.64 (d, *J* = 9 Hz, 2H), 7.51 (t, *J* = 7.2 Hz, 1H), 7.25-7.19 (m, 2H), 7.17 (d, *J* = 8.4 Hz, 1H), 7.12 (t, *J* = 7.8 Hz, 4H), 7.04 (d, *J* = 7.8 Hz, 1H), 6.95-6.89 (m, 3H), 6.74 (t, *J* = 7.2 Hz, 1H), 5.90 (d, *J* = 7.8 Hz, 1H), 2.36 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 142.7, 138.4, 137.8, 137.6, 137.0, 132.2, 131.8, 130.9, 129.7, 129.4, 129.2, 128.4, 128.0, 127.9, 127.6, 127.1, 126.5, 125.5, 59.1, 21.4.

HRMS(ESI): m/z Calcd. for $C_{25}H_{21}ClN_2O_2S$ $[M+H]^+$: 449.1085; Found: 449.1084.

4-Methyl-N-(naphthalen-2-yl(2-(pyridin-2-yl)phenyl)methyl)benzenesulfonamide (4l)[5]

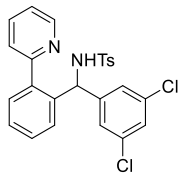


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 27.8 mg (60% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.86 (d, *J* = 9.6 Hz, 1H), 8.55 (d, *J* = 4.8 Hz, 1H), 7.65-7.58 (m, 3H), 7.48 (t, *J* = 5.4 Hz, 1H), 7.42 (d, *J* = 9 Hz, 1H), 7.37 (s, 1H), 7.34-7.30 (m, 2H), 7.29 (d, *J* = 7.8 Hz, 1H), 7.24-7.19 (m, 2H), 7.14-6.94 (m, 6H), 6.82 (d, *J* = 7.8 Hz, 1H), 5.85 (d, *J* = 9 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 159.8, 147.5, 142.4, 139.7, 139.6, 138.8, 137.9, 136.9, 132.6, 131.9, 131.4, 131.1, 129.1, 128.3, 127.8, 127.2, 127.1, 127.0, 125.7, 125.5, 124.8, 124.6, 124.3, 122.0, 61.6, 21.4.

N-((3,5-dichlorophenyl)(2-(pyridin-2-yl)phenyl)methyl)-4-methylbenzenesulfonamide(4m)[4]

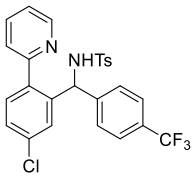


The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 25.5 mg (53% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.90 (s, 1H), 8.58 (d, *J* = 4.8 Hz, 1H), 7.59 (d, *J* = 7.8 Hz, 2H), 7.54 (t, *J* = 8.4 Hz, 1H), 7.32-7.28 (m, 1H), 7.25-7.23 (m, 1H), 7.17 (t, *J* =6.6 Hz, 1H), 7.10-7.05 (m, 3H), 6.98-6.92 (m, 2H), 6.90 (s, 1H), 6.81 (s, 2H), 5.59 (s, 1H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 147.6, 144.5, 142.7, 139.3, 138.5, 138.5, 137.4, 134.0, 131.5, 131.2, 129.2, 128.5, 128.3, 126.9, 126.4, 124.8, 124.5, 122.4, 60.9, 21.4.

N-((5-chloro-2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-4-methyl-benzenesulfonamide (4n)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 27.9 mg (54% yield).

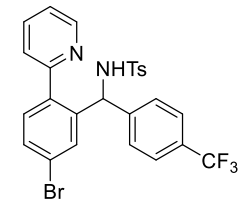
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.94 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 4.8 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 2 H), 7.45 (td, *J* = 7.7 Hz, 1.8 Hz, 1H), 7.23 (dd, *J* = 8.1 Hz, 2.2 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.06-7.15 (m, 6H), 6.84 (d, *J* = 7.8 Hz, 1H), 6.81 (d, *J* = 2.4 Hz, 1H), 5.58 (d, *J* = 9.6 Hz, 1H), 2.37 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 158.5, 147.7, 144.2, 143.1, 140.6, 138.2, 137.7, 137.3, 134.4, 132.7, 131.3, 128.7 (q, *J* = 32.5 Hz), 128.00, 127.2, 126.5, 126.3, 124.4 (q, *J* = 3.6 Hz), 123.9 (q, *J* = 272.1 Hz), 122.5, 61.0, 21.4.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.66.

HRMS(ESI): m/z Calcd. for $C_{26}H_{20}ClF_3N_2O_2S$ $[M+H]^+$:517.0959; Found:517.0958.

N-((5-bromo-2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-4-methylbenzenesulfonamide (4o)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 39.2 mg (70% yield).

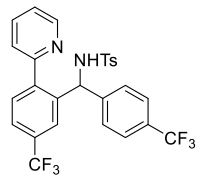
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.96 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 4.8 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.45 (td, *J* = 7.8, 1.8 Hz, 1H), 7.38 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.15-7.04 (m, 6H), 6.95 (d, *J* = 2.4 Hz, 1H), 6.84 (d, *J* = 7.8 Hz, 1H), 5.56 (d, *J* = 10.2 Hz, 1H), 2.39 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 158.5, 147.7, 144.2, 143.1, 140.8, 138.2, 137.4, 134.2, 132.9, 131.0, 129.8, 129.4, 128.7 (q, *J* = 32.8 Hz), 127.2, 126.9, 126.3, 124.4 (q, *J* = 3.9 Hz), 123.9 (q, *J* = 272.1 Hz), 122.7, 122.5, 61.0, 21.6.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.66.

HRMS(ESI): m/z Calcd. for $C_{26}H_{20}BrF_3N_2O_2S$ $[M+H]^+$:561.0454; Found:561.0451.

4-methyl-N-((2-(pyridin-2-yl)-5-(trifluoromethyl)phenyl)(4-(trifluoromethyl)-phenyl)methyl)benzenesulfonamide (4p)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 36.9 mg (67% yield).

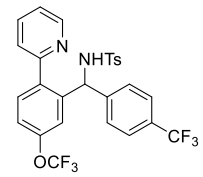
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.84 (d, *J* = 9.6 Hz, 1H), 8.57 (d, *J* = 5.4 Hz, 1H), 7.61 (d, *J* = 7.8 Hz, 2H), 7.52 (dd, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.48 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.35 (d, *J* = 7.8 Hz, 1H), 7.21-7.11 (m, 4H), 7.09-7.05 (m, 4H), 6.87 (d, *J* = 7.8 Hz, 1H), 5.73 (d, *J* = 9.6 Hz, 1H), 2.32 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 158.2, 147.9, 144.1, 143.2, 142.9, 139.9, 138.2, 137.5, 132.1, 130.4, 129.4, 129.0, 127.9 (d, *J* = 3.9 Hz), 126.8, 126.2, 125.1 (q, *J* = 3.8 Hz), 124.5, 124.4 (d, *J* = 3.8 Hz),123.9 (q, *J* = 272.2 Hz), 122.9, 61.1, 21.3.

^{19}F NMR (565 MHz, CDCl3) δ -62.68.

HRMS(ESI): m/z Calcd. for $C_{27}H_{20}F_6N_2O_2S$ $[M+Na]^+$:573.1042; Found:573.1038.

4-methyl-N-((2-(pyridin-2-yl)-5-(trifluoromethoxy)phenyl)(4-(trifluoromethyl)-phenyl)methyl)benzenesulfonamide (4q)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 40.2 mg (71% yield).

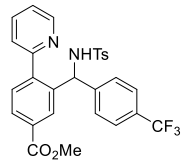
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.88 (d, *J* = 9.6 Hz, 1H), 8.54 (d, *J* = 4.8 Hz, 1H), 7.63 (d, *J* = 7.8 Hz, 2H), 7.47 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.27-7.24 (m, 1H), 7.19 (d, *J* = 8.4 Hz, 2H), 7.15-7.09 (m, 4H), 7.07 (d, *J* = 8.4 Hz, 2H), 6.86 (d, *J* = 7.8 Hz, 1H), 6.81 (s, 1H), 5.67 (d, *J* = 10.2 Hz, 1H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 158.2, 148.8, 147.8, 144.1, 143.1, 141.2, 138.3, 137.9, 137.4, 133.0, 129.4, 126.8, 126.3, 124.8, 124.4 (q, *J* = 3.9 Hz), 123.3, 123.9 (q, *J* = 272.1 Hz), 122.6, 121.1, 119.6, 119.4, 60.9, 21.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -57.71, -62.68.

HRMS(ESI): m/z Calcd. for $C_{27}H_{20}F_6N_2O_3S$ $[M+H]^+$:567.1172; Found:567.1171.

Methyl 3-(((4-methylphenyl)sulfonamido)(4-(trifluoromethyl)phenyl)methyl)-4-(pyridin-2-yl)benzoate (4r)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 32.4 mg (60% yield).

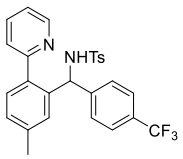
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.89 (d, *J* = 9.6 Hz, 1H), 8.53 (d, *J* = 3.0 Hz, 1H), 7.91 (d, *J* = 1.8 Hz, 1H), 7.75 (dd, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.49 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.16-7.12 (m, 1H), 7.04-7.10 (m, 5H), 6.94 (d, *J* = 7.8 Hz, 1H), 5.79 (d, *J* = 9.6 Hz, 1H), 3.92 (s, 3H), 2.33 (s, 3H).

^{13}C NMR (151 MHz, CDCl3) δ 166.1, 158.6, 147.7, 144.3, 143.7, 142.9, 139.5, 138.5, 137.4, 132.6, 131.4, 129.4, 129.3, 128.7 (q, *J* = 32.8 Hz), 126.9, 126.3, 124.6, 124.4 (q, *J* = 3.7 Hz), 123.9 (q, *J* = 272.6 Hz), 122.6, 60.9, 52.3, 26.9, 21.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.67.

HRMS(ESI): m/z Calcd. for $C_{28}H_{23}F_3N_2O_4S$ $[M+H]^+$: 541.1403; Found:541.1402.

4-methyl-N-((5-methyl-2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)-methyl)benzenesulfonamide (4s)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 43.6 mg (88% yield).

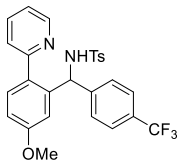
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.09 (d, *J* = 10.2 Hz, 1H), 8.49 (d, *J* = 3 Hz, 1H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.43 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.16 (d, *J* = 7.8 Hz, 2H), 7.11 (d, *J* = 7.8 Hz, 3H), 7.08-7.04 (m, 4H), 6.87 (d, *J* = 7.8 Hz, 1H), 6.68 (s, 1H), 5.60 (d, *J* = 9.6 Hz, 1H), 2.34 (s, 3H), 2.17 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 147.5, 145.1, 142.3, 138.7, 138.2, 137.1, 136.5, 132.4, 131.5, 129.0, 128.6, 128.1 (q, *J* = 32.0 Hz), 127.0, 126.3, 124.9, 124.4, 124.2 (q, *J* = 3.8 Hz), 124.0 (q, *J* = 272.0 Hz), 121.9, 61.5, 21.3, 20.6.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.59.

HRMS(ESI): m/z Calcd. for $C_{27}H_{23}F_3N_2O_2S$ $[M+H]^+$: 497.1505; Found:497.1504.

N-((5-methoxy-2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-4-methylbenzenesulfonamide (4t)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 43 mg (84% yield).

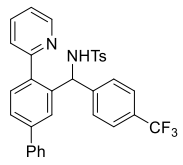
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.21 (d, *J* = 10.2 Hz, 1H), 8.48 (d, *J* = 4.8 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.43 (td, J = 7.8 Hz, 1.8 Hz, 1H), 7.19-7.11 (m, 5H), 7.09-7.02 (m, 3H), 6.87 (d, *J* = 7.8 Hz, 1H), 6.78 (dd, *J* = 8.4 Hz, 2.4 Hz, 1H), 6.47 (d, *J* = 2.4 Hz, 1H), 5.61 (d, *J* = 9.6 Hz, 1H), 3.71 (s, 3H), 2.33 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 159.3, 147.4, 144.9, 142.5, 140.4, 138.8, 137.1, 132.9, 131.7, 129.1, 128.5 (q, *J* = 32.5 Hz), 127.0, 126.3, 124.3, 124.2 (q, *J* = 3.9 Hz), 124.0 (q, *J* = 272.1 Hz),121.7, 117.2, 113.1, 61.6, 55.1, 21.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.99.

HRMS(ESI): m/z Calcd. for $C_{27}H_{23}F_3N_2O_3S$ $[M+H]^+$: 513.1454; Found:513.1454.

4-methyl-N-((4-(pyridin-2-yl)-[1,1'-biphenyl]-3-yl)(4-(trifluoromethyl)phenyl)-methyl)-benzenesulfonamide (4u)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 34.6 mg (62% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 9.18 (d, *J* = 9.6 Hz, 1H), 8.54 (dd, *J* = 4.8 Hz, 1.8 Hz, 1H), 7.63 (d, *J* = 8.4 Hz, 2H), 7.52 (dd, *J* = 8.4 Hz, 2.4 Hz, 1H), 7.50-7.37 (m, 6H),

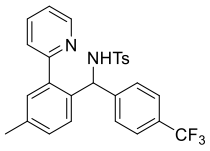
7.31 (d, *J* = 7.8 Hz, 1H), 7.21-7.14 (m, 4H), 7.14-7.08 (m, 2H), 6.95 (dd, *J* = 17.4 Hz, 7.8 Hz, 3H), 5.73 (d, *J* = 10.2 Hz, 1H), 2.12 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.3, 147.6, 144.9, 142.7, 140.9, 139.4, 139.2, 138.5, 138.2, 137.3, 132.2, 130.1, 129.2, 128.7 (q, *J* = 35.3 Hz), 128.5, 127.9, 126.9, 126.9, 126.4, 126.3, 124.4, 124.3 (q, *J* = 3.6 Hz), 124.0 (q, *J* = 272.1 Hz), 122.3, 61.7, 21.3.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.58.

HRMS(ESI): m/z Calcd. for $C_{32}H_{25}F_3N_2O_2S$ $[M+H]^+$: 559.1662; Found: 559.1659

4-methyl-N-((4-methyl-2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)-methyl)-benzenesulfonamide (4v)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 25.3 mg (51% yield).

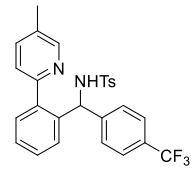
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.83 (d, *J* = 9.0 Hz, 1H), 8.50 (d, *J* = 3.6 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 2H), 7.44 (td, *J* = 7.2 Hz, 1.8 Hz, 1H), 7.16 (d, *J* = 7.8 Hz, 2H), 7.10-7.02 (m, 6H), 6.92-6.86 (m, 3H), 5.67 (d, *J* = 9.6 Hz, 1H), 2.35 (s, 3H), 2.32 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 147.5, 145.3, 142.5, 139.2, 138.8, 138.0, 137.0, 136.4, 132.2, 131.2, 129.1, 128.9, 128.6 (q, *J* = 32.2 Hz), 126.9, 126.4, 124.4, 124.2 (q, *J* = 3.6 Hz), 124.0 (q, *J* = 271.6 Hz), 122.1, 61.0, 21.4, 20.9.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.59.

HRMS(ESI): m/z Calcd. for $C_{27}H_{23}F_3N_2O_2S$ $[M+H]^+$: 497.1505; Found: 497.1503

4-methyl-N-((2-(5-methylpyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)-methyl)-benzenesulfonamide (4w)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 26.8 mg (54% yield).

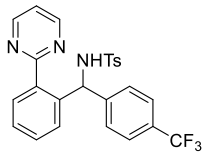
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.09 (d, *J* = 9.6 Hz, 1H), 8.49 (d, *J* = 4.8 Hz, 1H), 7.60 (d, *J* = 8.4 Hz, 2H), 7.43 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.16 (d, *J* = 8.4 Hz, 2H), 7.11 (d, *J* = 7.7 Hz, 3H), 7.08-7.04 (m, 4H), 6.87 (d, *J* = 7.8 Hz, 1H), 6.67 (d, *J* = 1.8 Hz, 1H), 5.60 (d, *J* = 9.6 Hz, 1H), 2.34 (s, 3H), 2.17 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 147.5, 145.1, 142.3, 138.8, 138.2, 137.1, 136.5, 132.3, 131.5, 129.0, 128.8 (q, *J* = 32.0 Hz), 128.6, 128.4, 127.0, 126.3, 124.4, 124.2 (q, *J* = 3.8 Hz), 124.0 (q, *J* = 272.6 Hz), 121.9, 61.5, 21.3, 20.6.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.59.

HRMS(ESI): m/z Calcd. for $C_{27}H_{23}F_3N_2O_2S$ $[M+H]^+$: 497.1505; Found: 497.1503

4-methyl-N-((2-(pyrimidin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-benzenesulfonamide (4x)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (3:1) resulting in 29.0 mg (60% yield).

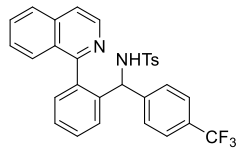
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.60 (d, *J* = 4.8 Hz, 2H), 8.26 (d, *J* = 10.2 Hz, 1H), 7.81 (d, *J* = 7.8 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.37 (td, *J* = 7.2 Hz, 1.2 Hz, 1H), 7.25-7.23 (m, 2H), 7.22-7.17 (m, 3H), 7.07 (d, *J* = 7.8 Hz, 2H), 7.05-6.99 (m, 2H), 5.91 (d, *J* = 10.2 Hz, 1H), 2.35 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.3, 156.6, 145.0, 142.8, 138.8, 138.5, 137.3, 132.6, 131.6, 129.8, 129.2, 129.0 (q, *J* = 32.8 Hz), 128.4, 126.9, 126.7 ,124.6 (q, *J* = 3.8 Hz), 124.0 (q, *J* = 271.8 Hz), 118.7, 61.0, 21.4.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.65.

HRMS(ESI): m/z Calcd. for $C_{25}H_{20}F_3N_3O_2S$ $[M+H]^+$: 484.1301; Found: 484.1301

N-((2-(isoquinolin-1-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-4-methylbenzenesulfonamide (4y)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 29.0 mg (52% yield).

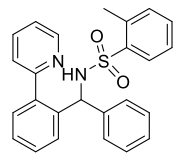
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.52 (d, *J* = 6.0 Hz, 1H), 8.30 (d, *J* = 9.6 Hz, 1H), 7.68 (d, *J* = 7.8 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.54 (d, *J* = 6.0 Hz, 1H), 7.51-7.47 (m, 1H), 7.32 (td, *J* = 7.8 Hz, 1.2 Hz, 1H), 7.23-7.18 (m, 2H), 7.17-7.11 (m, 4H), 7.03 (d, *J* = 7.8 Hz, 1H), 6.82 (d, *J* = 8.4 Hz, 2H), 6.74 (d, *J* = 7.8 Hz, 2H), 5.60 (d, *J* = 9.6 Hz, 1H), 2.38 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 160.5, 144.1, 142.8, 140.9, 140.2, 138.6, 137.6, 136.3, 132.1, 130.8, 130.4, 129.7, 129.3, 128.3, 127.2, 127.0, 126.9, 126. 8, 126.5, 125.5, 124.5, 123.7 (q, *J* = 3.9 Hz), 123.6 (q, *J* = 272.7 Hz) 121.0, 61.3,21.4.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.78.

HRMS(ESI): m/z Calcd. for $C_{30}H_{23}F_3N_2O_2S$ $[M+H]^+$: 533.1505; Found: 533.1505

2-methyl-N-(phenyl(2-(pyridin-2-yl)phenyl)methyl)benzenesulfonamide (4z)



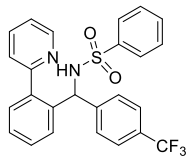
The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 29.4 mg (71% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 9.05 (d, *J* = 9.6 Hz, 1H), 8.51 (d, *J* = 4.2 Hz, 1H), 8.04 (d, *J* = 7.8 Hz, 1H), 7.43 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.34 (td, *J* = 7.2 Hz, 1.2 Hz, 1H), 7.28-7.21 (m, 3H), 7.08-7.04 (m, 1H), 7.02-6.93 (m, 4H), 6.93-6.85 (m, 4H), 6.70 (d, *J* = 7.8 Hz, 1H), 5.58 (d, *J* = 9.6 Hz, 1H), 2.38 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.9, 147.4, 140.8, 139.6, 137.3, 136.9, 132.1, 132.0, 131.3, 130.9, 129.4, 128.1, 127.8, 127.3, 126.1, 125.9, 125.7, 124.4, 121.9, 61.5, 19.7.

HRMS(ESI): m/z Calcd. for $C_{25}H_{22}N_2O_2S$ $[M+H]^+$: 415.1475; Found: 415.1474

N-((2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)benzene-sulfonamide (4aa)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 30.4 mg (65% yield).

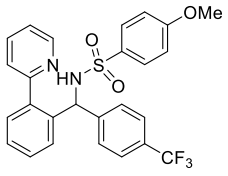
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.05 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 5.4 Hz, 1H), 7.74 (d, *J* = 7.2 Hz, 2H), 7.45 (td, *J* =7.7 Hz, 1.8 Hz, 1H), 7.40 (t, *J* =7.5 Hz, 1H), 7.29-7.26 (m, 3H), 7.23 (d, *J* = 7.2 Hz, 1H), 7.17 (d, *J* = 8.4 Hz, 2H), 7.12-7.05 (m, 4H), 6.99 (d, *J* = 7.2 Hz, 1H), 6.88 (d, *J* = 7.8 Hz, 1H), 5.73 (d, *J* = 9.6 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.6, 147.5, 145.0, 141.5, 139.3, 138.9, 137.3, 131.9, 131.6, 131.2, 129.1, 128.5 (q, J = 33.8 Hz),128.5, 128.3, 126.8, 126.3, 124.5, 124.3 (q, *J* = 3.6 Hz), 124.0 (q, *J* = 271.9 Hz),122.2, 61.5.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.61.

HRMS(ESI): m/z Calcd. for $C_{25}H_{19}F_3N_2O_2S$ $[M+H]^+$: 469.1192; Found: 469.1195

4-methoxy-N-((2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-benzenesulfonamide (4ab)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 33.4 mg (67% yield).

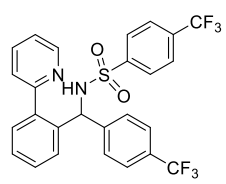
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.84 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 3.6 Hz, 1H), 7.66 (d, *J* = 9.0 Hz, 2H), 7.45 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.31-7.22 (m, 2H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.15-7.07 (m, 4H), 7.01 (d, *J* = 8.4 Hz, 1H), 6.88 (d, *J* = 8.4 Hz, 1H), 6.75 (d, *J* = 9.0 Hz, 2H), 5.70 (d, *J* = 9.6 Hz, 1H), 3.81 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 162.4, 159.6, 147.6, 145.1, 139.4, 139.3, 137.1, 133.5, 131.5, 131.2, 129.0,128.7 (q, *J* = 32.8 Hz), 128.4, 128.2, 126.4, 124.5, 124.3 (q, *J* = 3.7 Hz), 123.8 (q, *J* = 272.9 Hz), 122.2, 113.8, 61.3, 55.5.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.66.

HRMS(ESI): m/z Calcd. for $C_{26}H_{21}F_3N_2O_3S$ $[M+K]^+$: 537.0857; Found: 537.0853

N-((2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)-4-(trifluoromethyl)-benzenesulfonamide (4ac)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (5:1) resulting in 43.4 mg (81% yield).

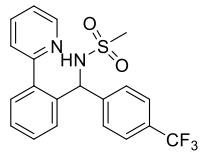
^{1}H NMR (600 MHz, $CDCl_3$) δ 9.40 (d, *J* = 9.6 Hz, 1H), 8.52 (d, *J* = 3.6 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 2H), 7.48 (td, *J* = 7.8 Hz, 1.8 Hz, 1H), 7.30-7.22 (m, 3H), 7.19 (d, *J* = 7.8 Hz, 2H), 7.13-7.04 (m, 6H), 6.96 (d, *J* = 7.8 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 1H), 5.71 (d, *J* = 9.5 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.5, 151.5, 147.5, 144.5, 140.2, 139.1, 138.6, 137.4, 131.8, 131.3, 129.2, 128.9, 128.7 (q, *J* = 31.6 Hz), 128.5, 128.1, 126.2, 124.7, 124.3 (q, *J* = 3.8 Hz), 123.9 (q, *J* = 272.0 Hz), 122.3, 120.7, 61.8.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.67, -63.19.

HRMS(ESI): m/z Calcd. for $C_{26}H_{18}F_6N_2O_2S$ $[M+H]^+$: 537.1066; Found: 537.1064

N-((2-(pyridin-2-yl)phenyl)(4-(trifluoromethyl)phenyl)methyl)methane-sulfonamide (4ad)



The title compound was prepared according to the general procedure as described. Silica gel flash column chromatography was performed using hexanes and ethyl acetate (10:1) resulting in 29.2 mg (72% yield).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.55 (d, *J* = 9.6 Hz, 1H), 8.47 (d, *J* = 4.2 Hz, 1H), 7.64 (d, *J* = 7.3 Hz, 1H), 7.54-7.47 (m, 3H), 7.42 (d, *J* = 7.3 Hz, 1H), 7.23-7.20 (d, *J* = 7.8

Hz, 2H), 7.15-7.09 (m, 3H), 6.98 (d, *J* = 7.8 Hz, 1H), 5.91 (d, *J* = 9.6 Hz, 1H), 2.81 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 147.6, 145.0, 139.9, 139.9, 137.3, 132.2, 131.4, 129.2, 128.9, 128.6 (q, *J* = 32.3 Hz), 126.3, 124.6, 124.4 (q, *J* = 3.8 Hz), 124.0 (q, *J* = 272.3 Hz), 122.3, 61.5, 42.1.

^{19}F NMR (565 MHz, $CDCl_3$) δ -62.63.

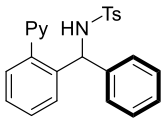
HRMS(ESI): m/z Calcd. for $C_{20}H_{17}F_3N_2O_2S$ $[M+H]^+$: 407.1036; Found: 407.1033

5. References

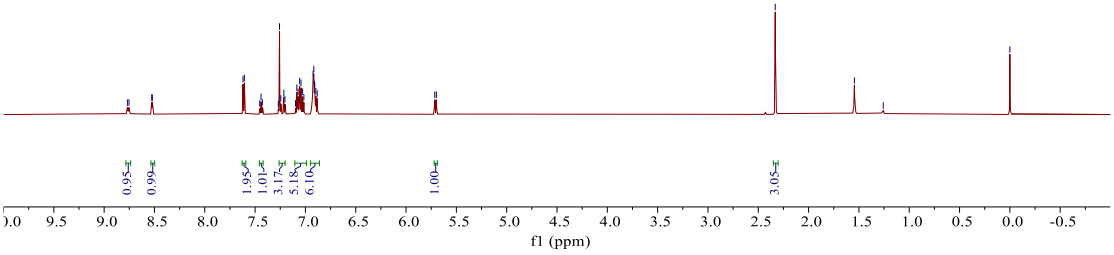
1. B. Zhou, Y. Hu and C. Wang, *Angew Chem., Int. Ed.*, 2015, **54**, 13659-13663.
2. C. Liu and W. Yang, *Chem. Commun.*, 2009, **41**, 6267-6269.
3. Y. Li, B. J. Li, W. H. Wang, W. P. Huang, X. S. Zhang, K. Chen and Z. J. Shi, *Angew Chem., Int. Ed.*, 2011, **50**, 2115-2119.
4. Z. Q. Liu, J. Tao, X. Zhuang, C. M. Hong, Z. Luo, Y. F. Wu, Q. H. Li and T. L. Liu, *Adv. Synth. Catal.*, 2021, **363**, 5279-5283.
5. X. S. Zhang, Y. Li, H. Li, K. Chen, Z. Q. Lei and Z. J. Shi, *Chem. Eur. J.*, 2012, **18**, 16214-16225.

6. NMR spectra

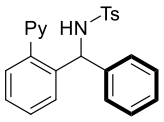
^{1}H NMR (600 MHz, $CDCl_3$) for **4a**



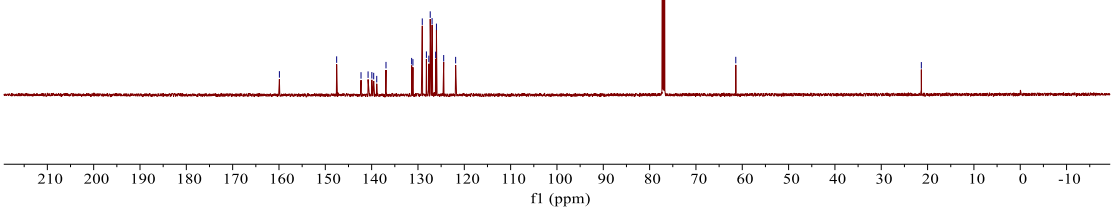
4a



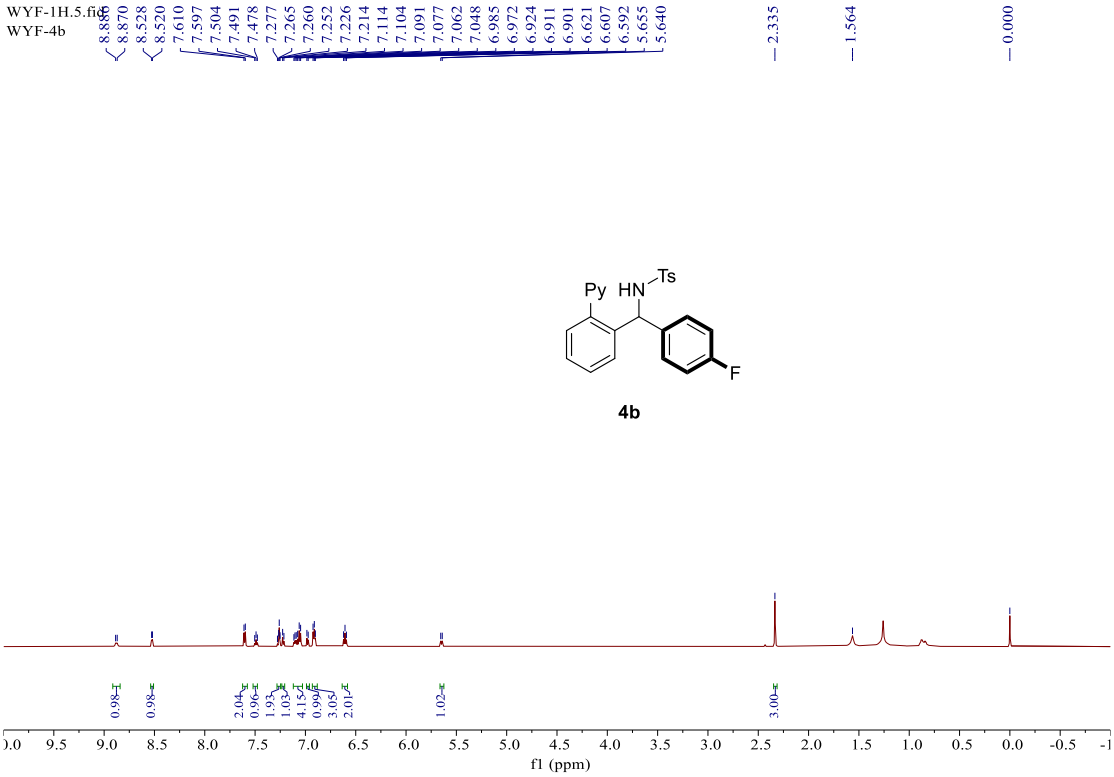
^{13}C NMR (151 MHz, $CDCl_3$) for **4a**



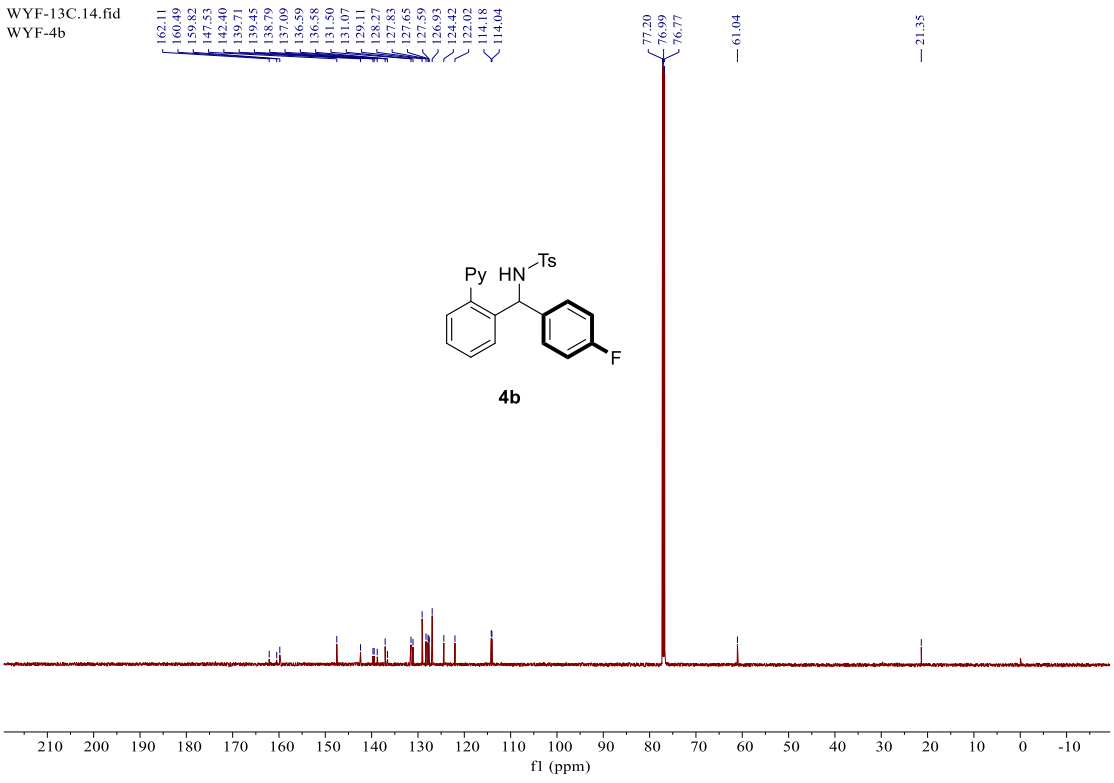
4a



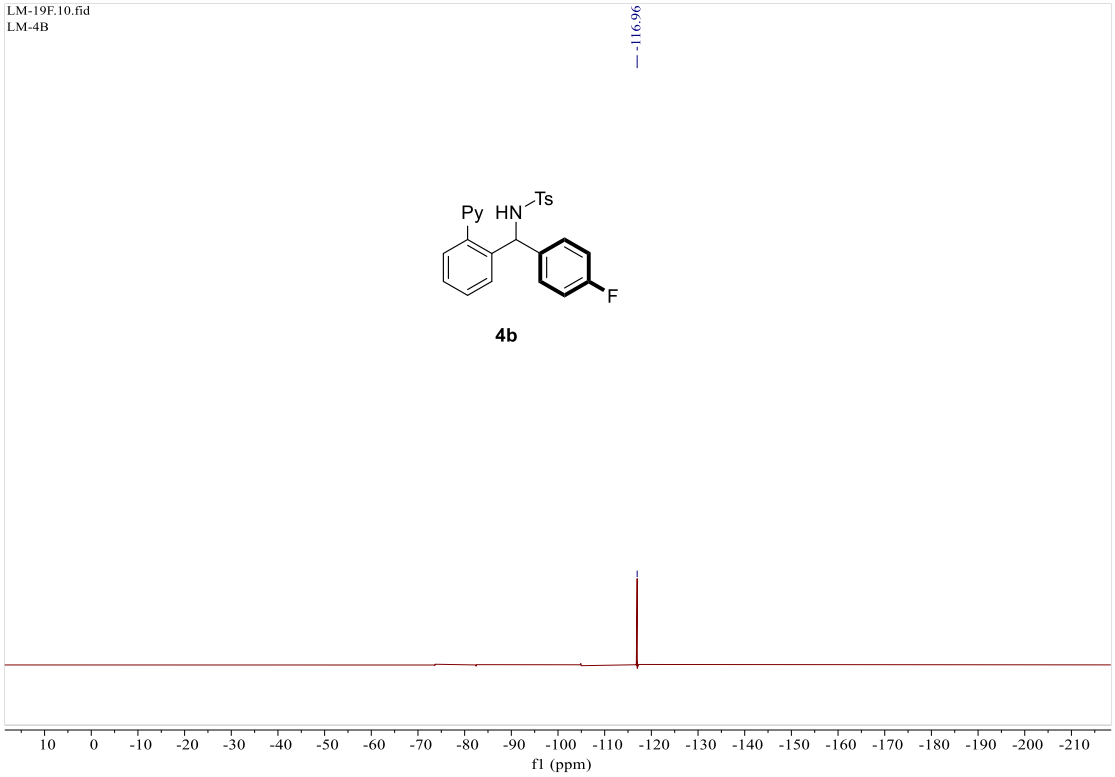
^{1}H NMR (600 MHz, $CDCl_3$) for **4b**



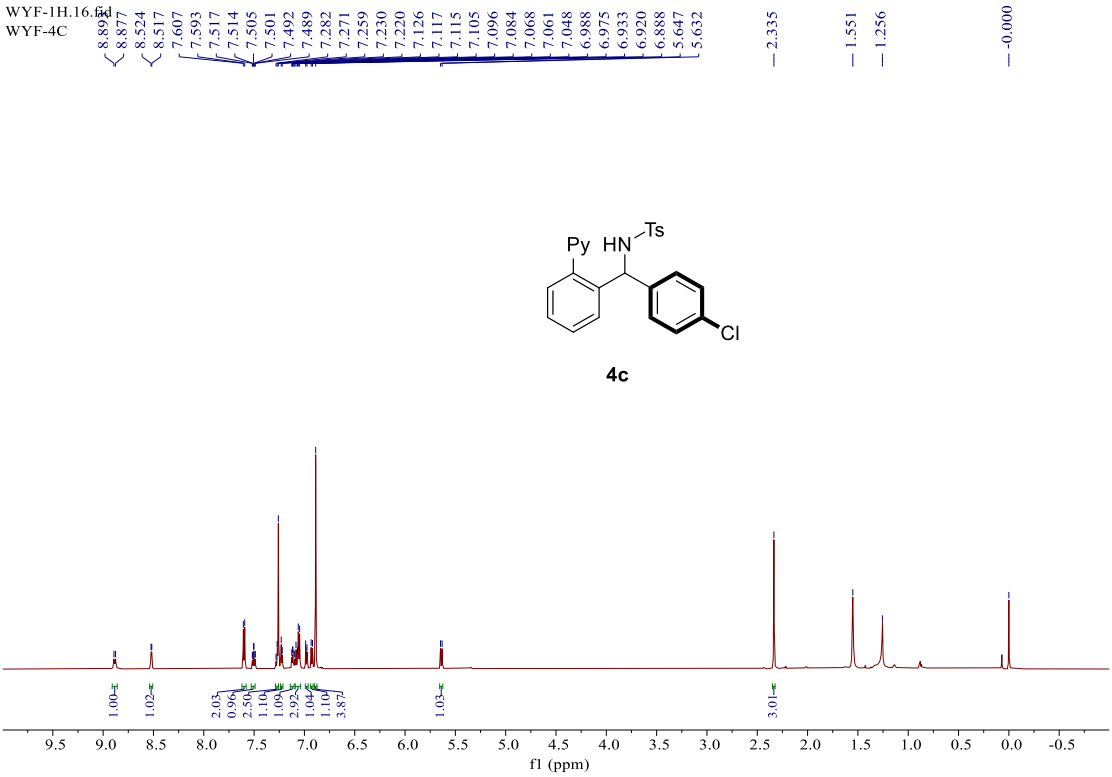
^{13}C NMR (151 MHz, $CDCl_3$) for **4b**



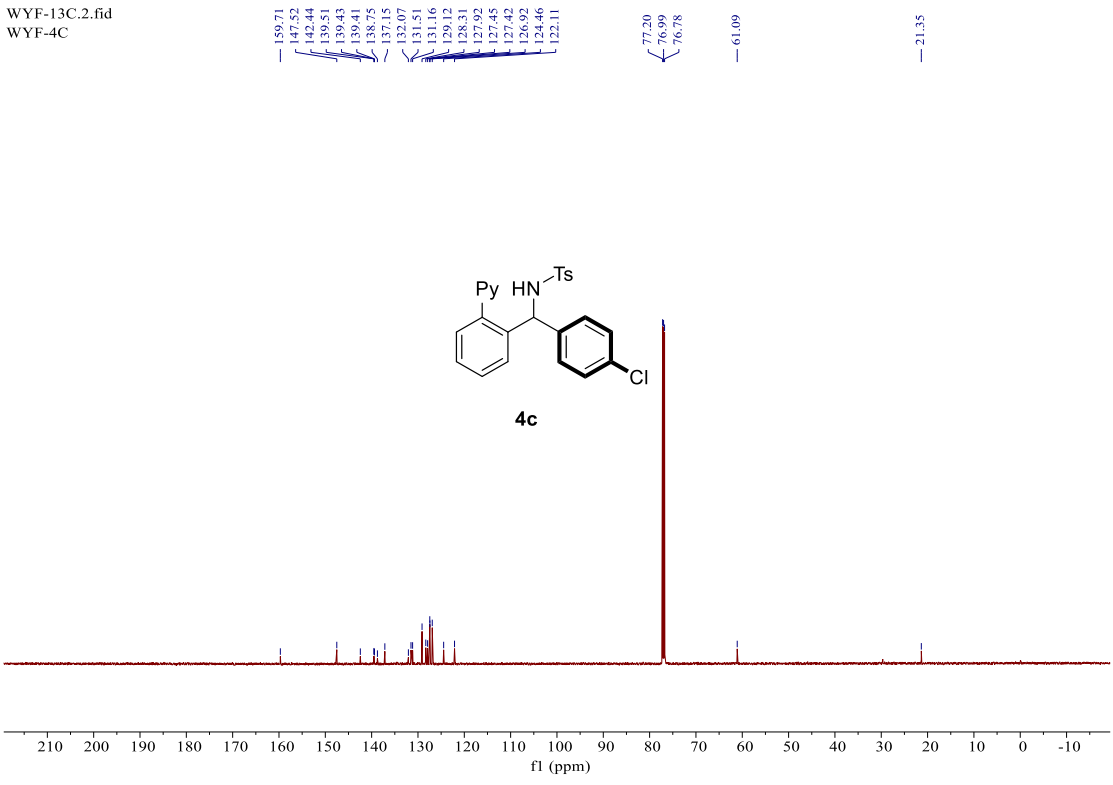
^{19}F NMR (565 MHz, $CDCl_3$) for **4b**



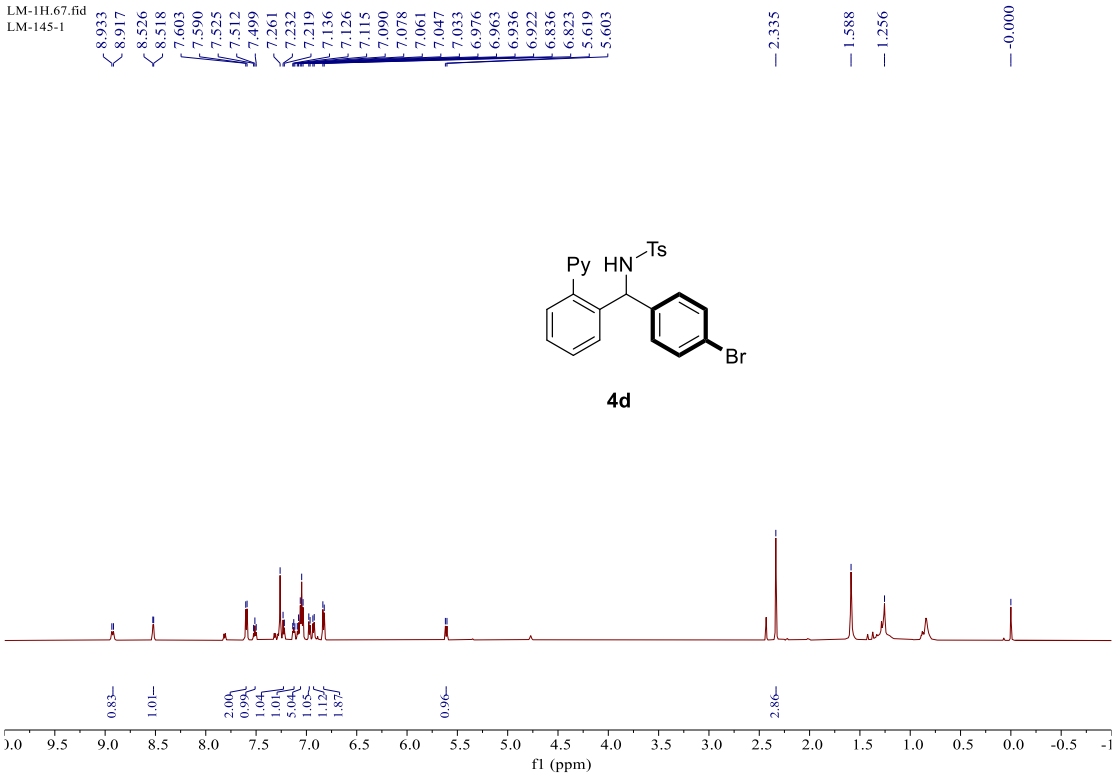
^{1}H NMR (600 MHz, $CDCl_3$) for **4c**



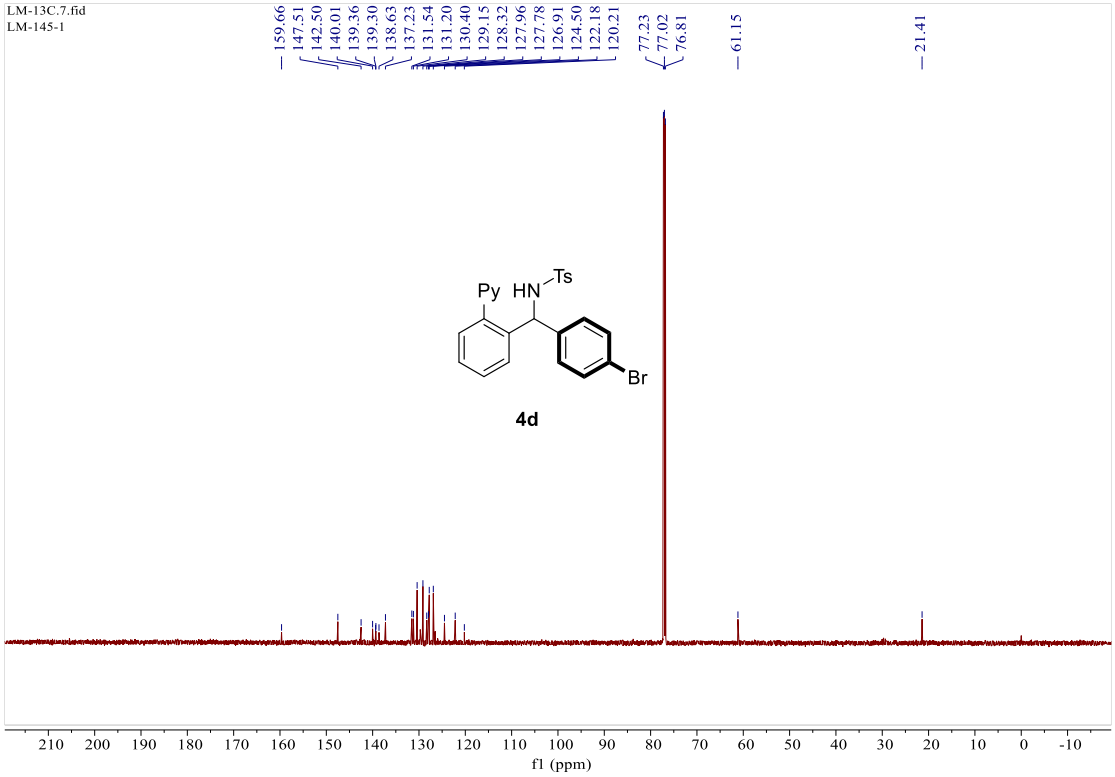
^{13}C NMR (151 MHz, $CDCl_3$) for **4c**



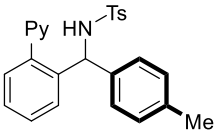
^{1}H NMR (600 MHz, $CDCl_3$) for **4d**



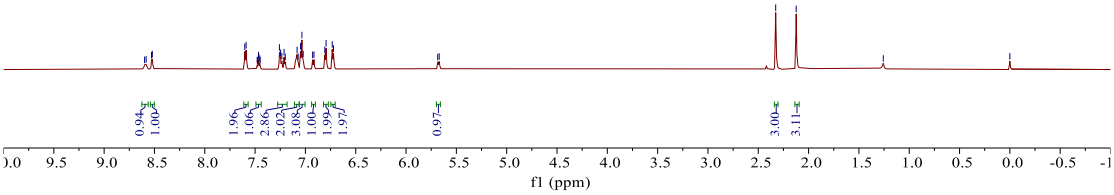
^{13}C NMR (151 MHz, $CDCl_3$) for **4d**



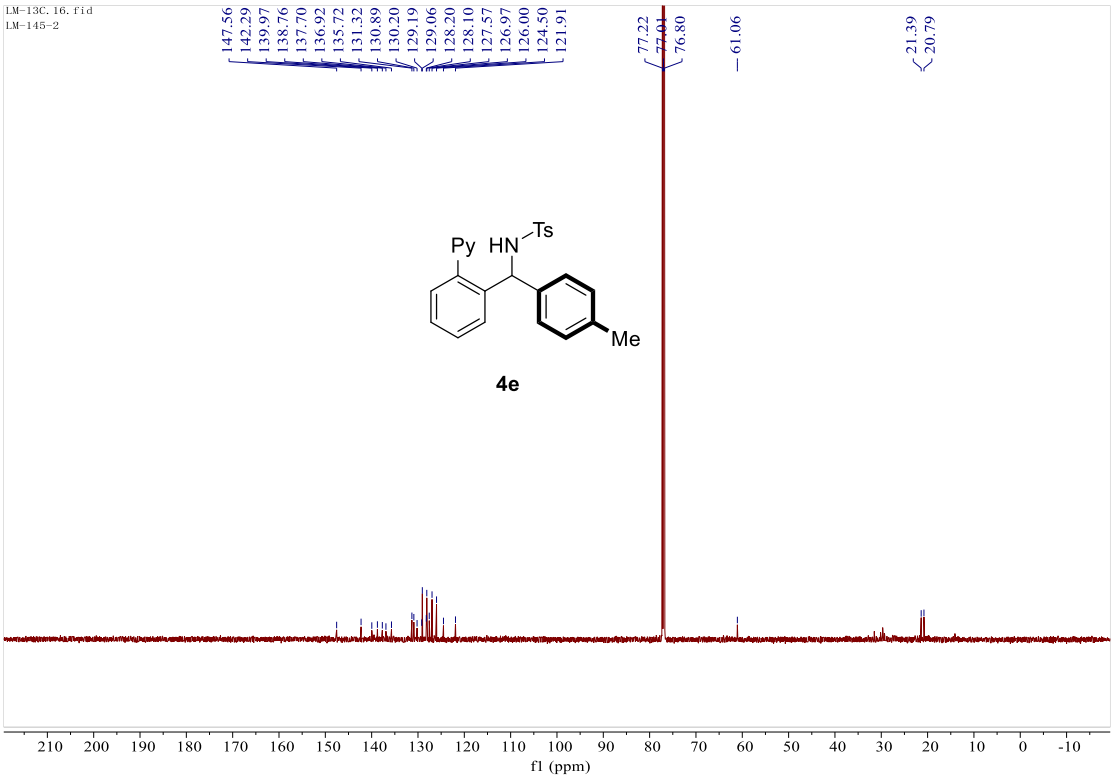
^{1}H NMR (600 MHz, $CDCl_3$) for **4e**



4e

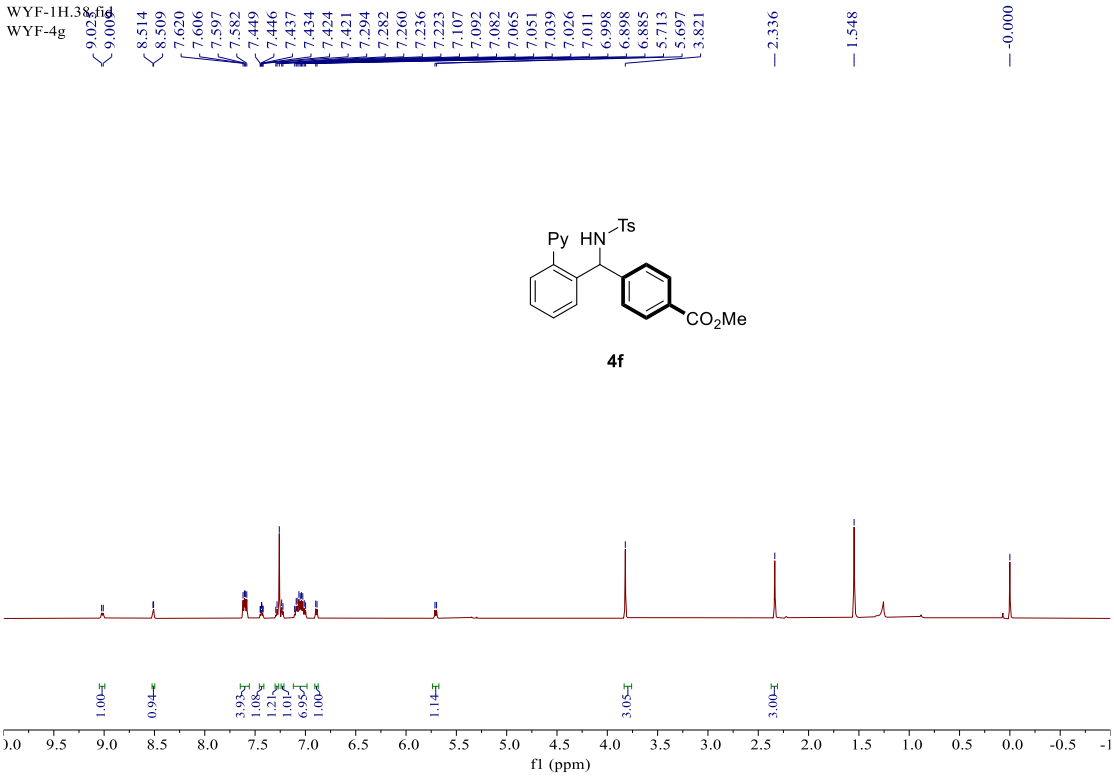


^{13}C NMR (151 MHz, $CDCl_3$) for **4e**

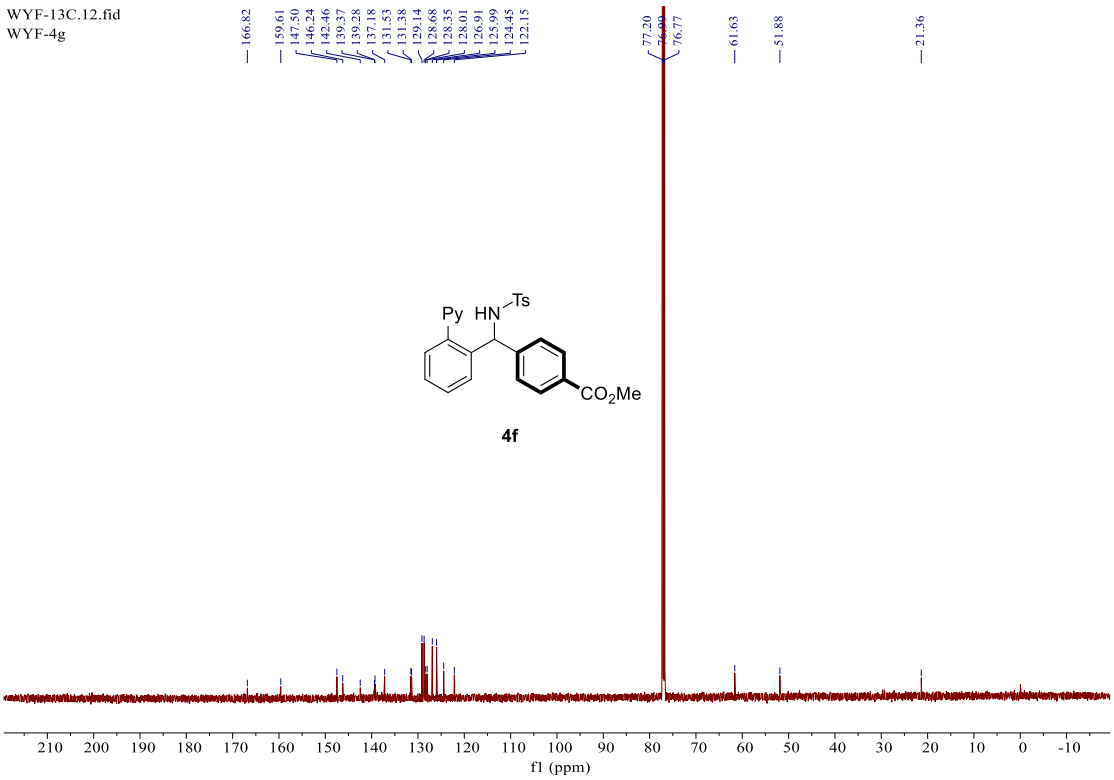


4e

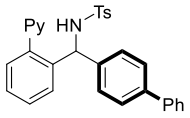
^{1}H NMR (600 MHz, $CDCl_3$) for **4f**



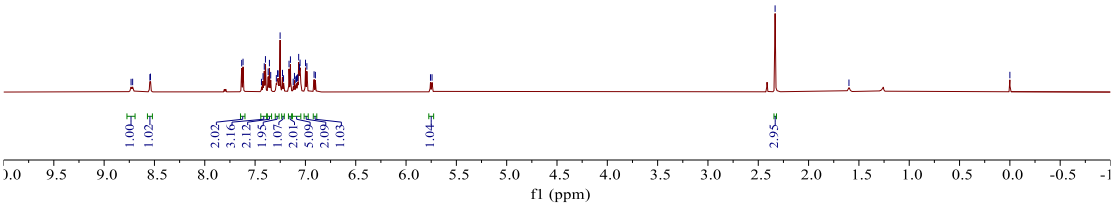
^{13}C NMR (151 MHz, $CDCl_3$) for **4f**



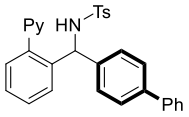
^{1}H NMR (600 MHz, $CDCl_3$) for **4g**



4g

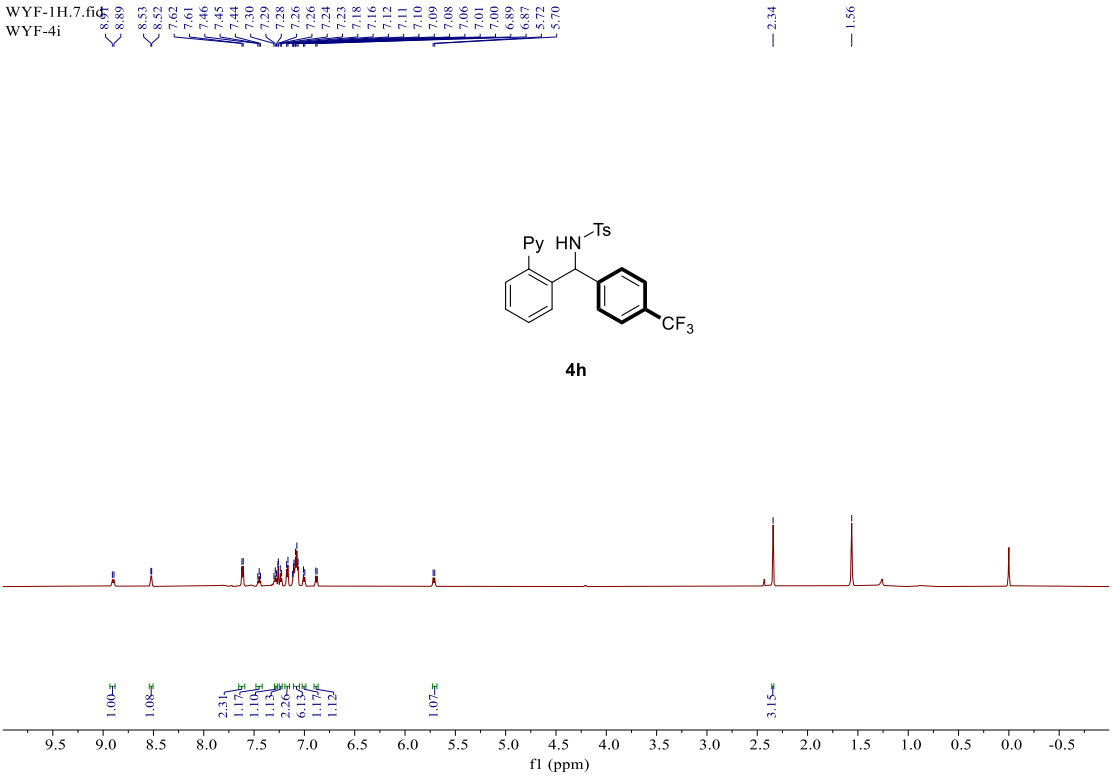


^{13}C NMR (151 MHz, $CDCl_3$) for **4g**

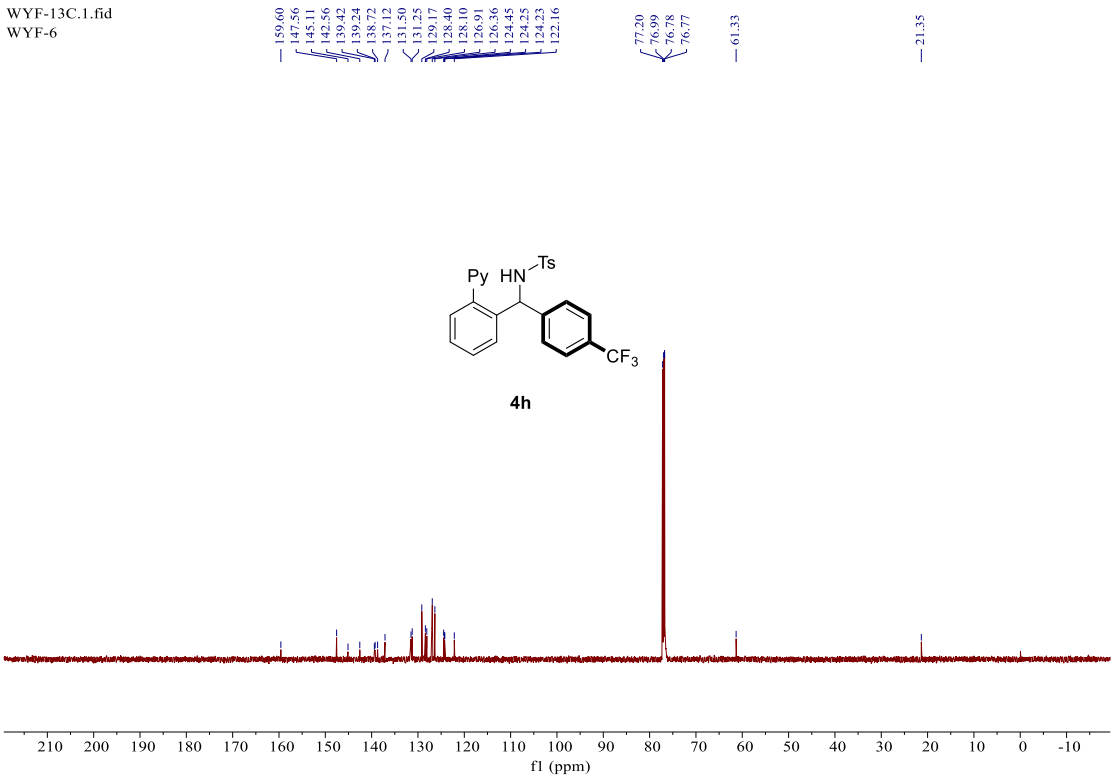


4g

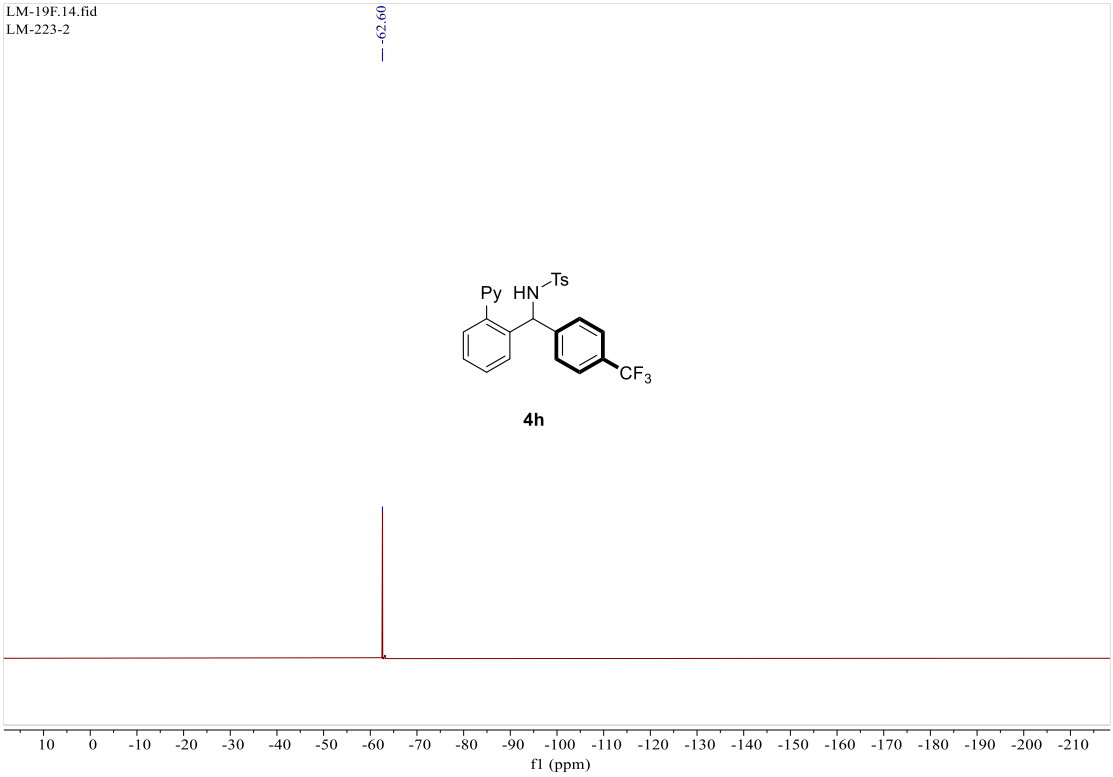
^{1}H NMR (600 MHz, $CDCl_3$) for **4h**



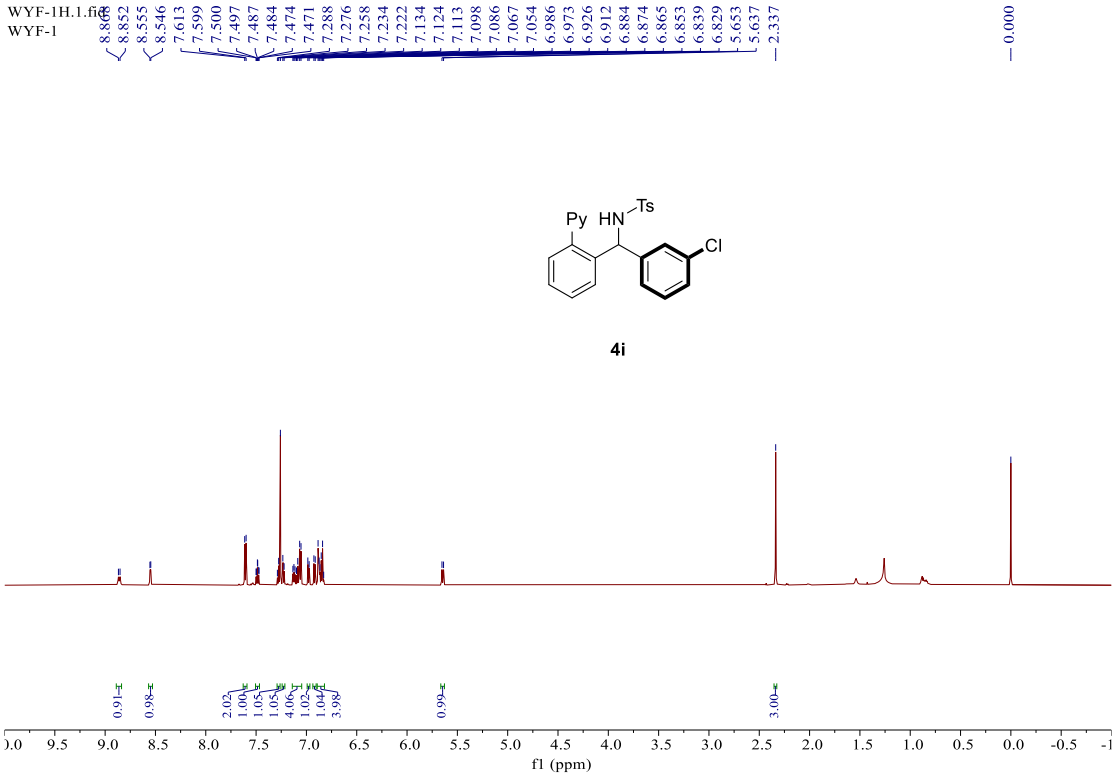
^{13}C NMR (151 MHz, $CDCl_3$) for **4h**



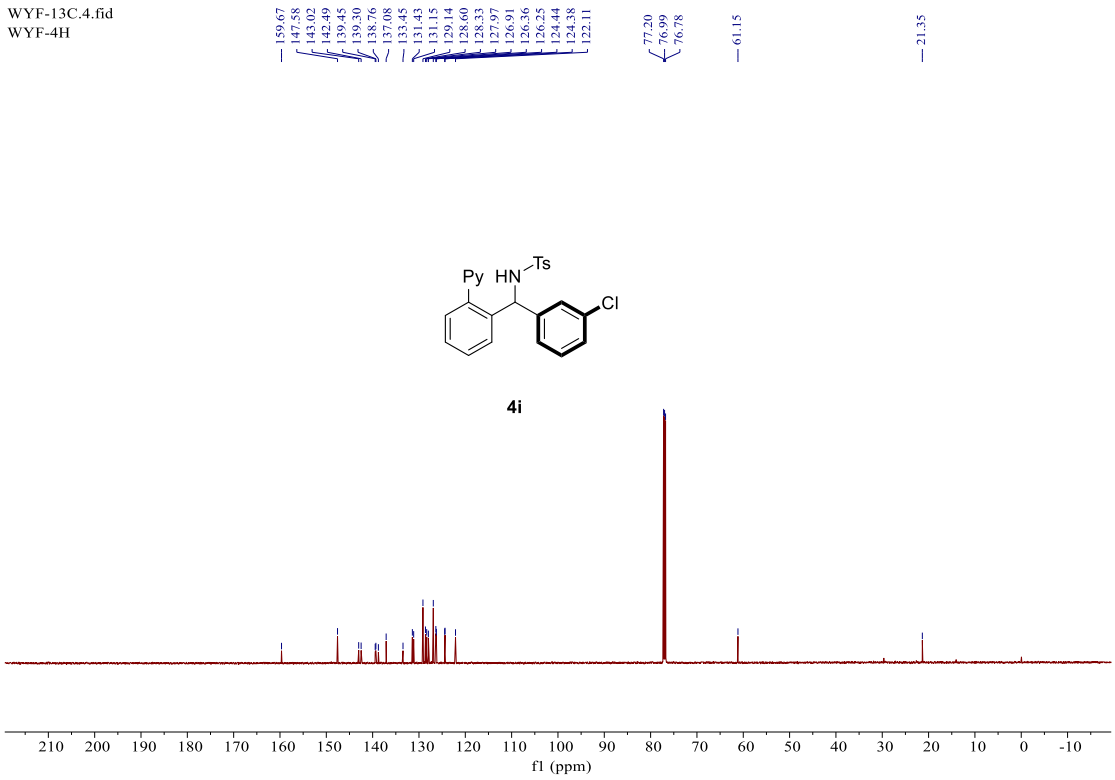
^{19}F NMR (565 MHz, $CDCl_3$) for **4h**



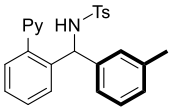
^{1}H NMR (600 MHz, $CDCl_3$) for **4i**



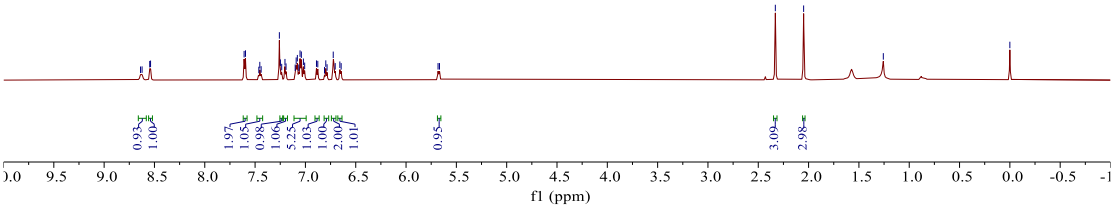
^{13}C NMR (151 MHz, $CDCl_3$) for **4i**



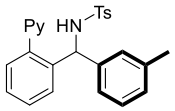
^{1}H NMR (600 MHz, $CDCl_3$) for **4j**



4j

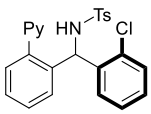


^{13}C NMR (151 MHz, $CDCl_3$) for **4j**

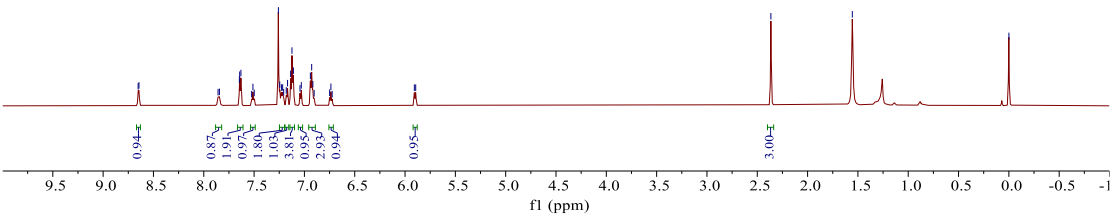


4j

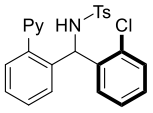
^{1}H NMR (600 MHz, $CDCl_3$) for **4k**



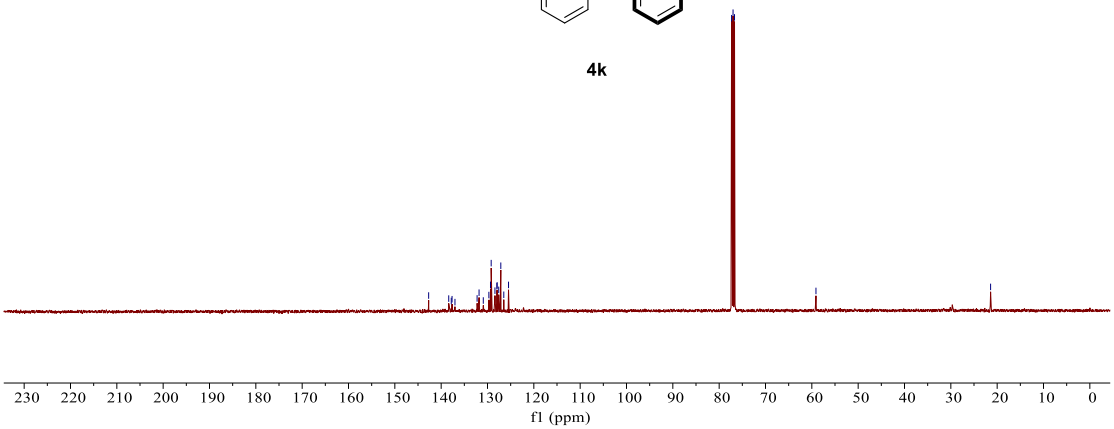
4k



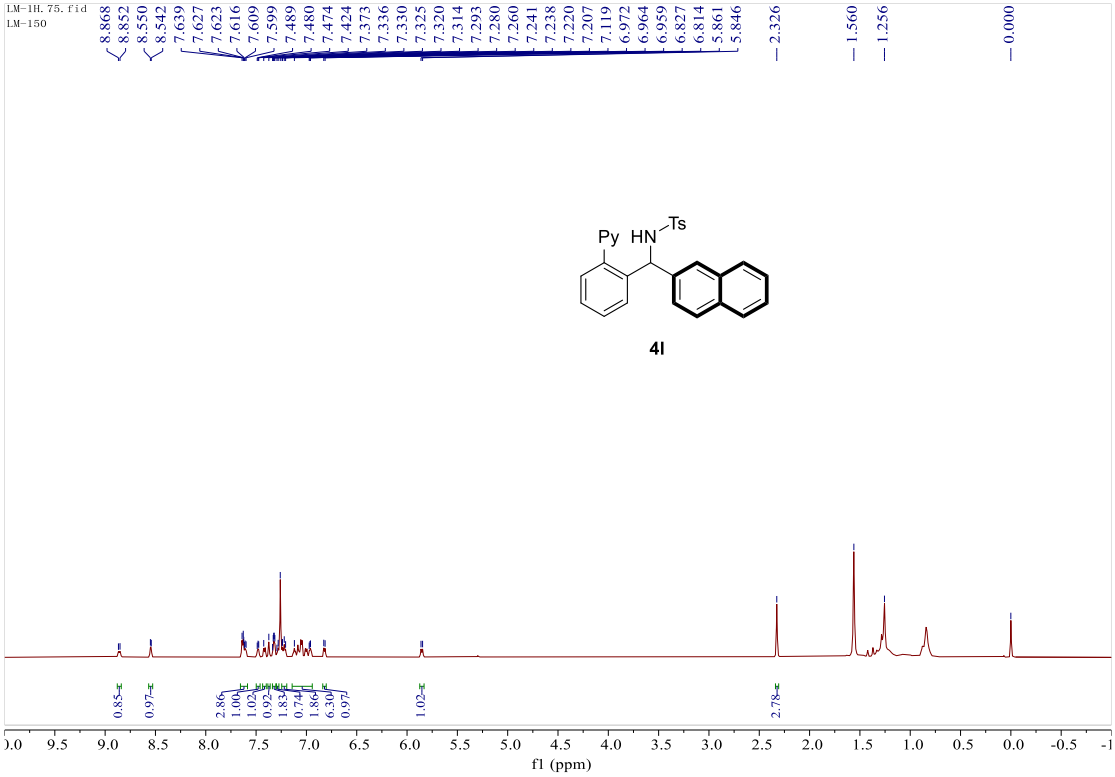
^{13}C NMR (101 MHz, $CDCl_3$) for **4k**



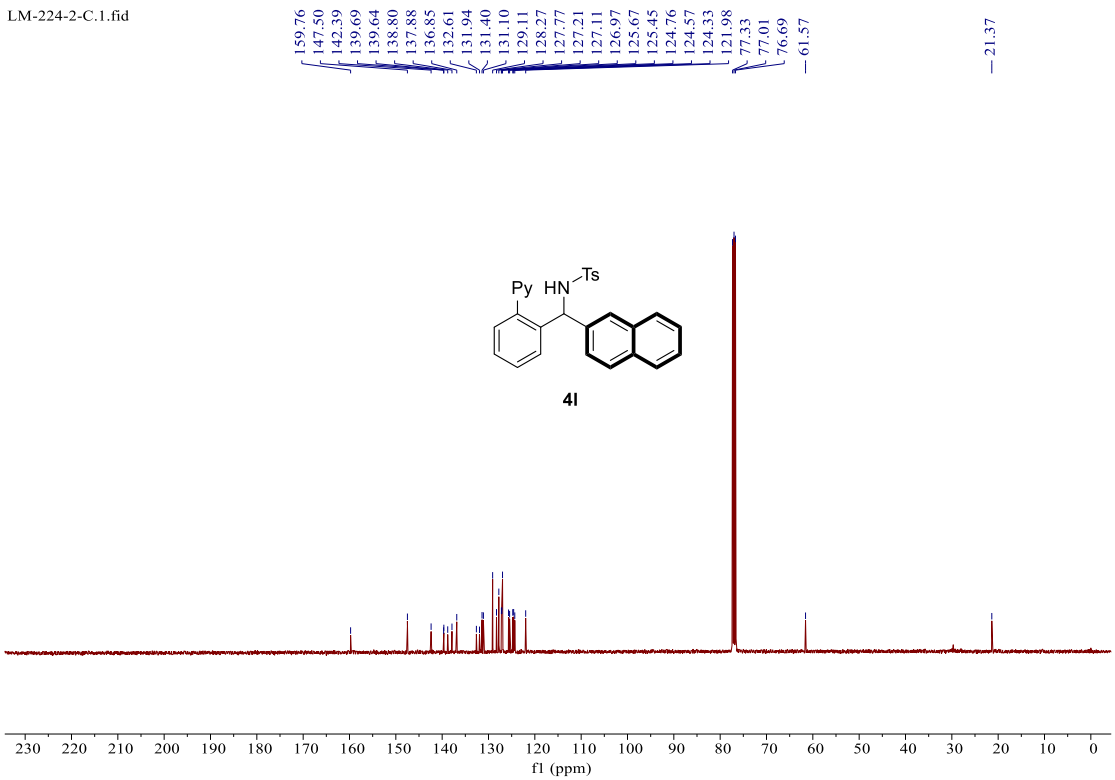
4k



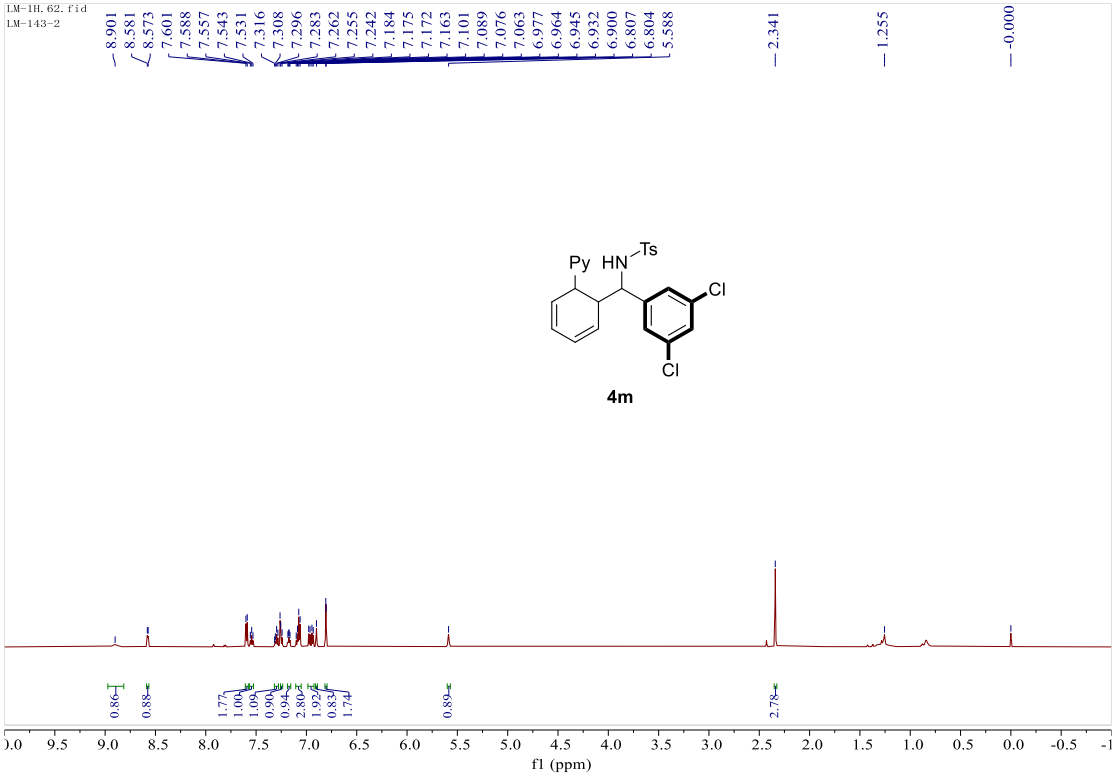
^{1}H NMR (600 MHz, $CDCl_3$) for **4l**



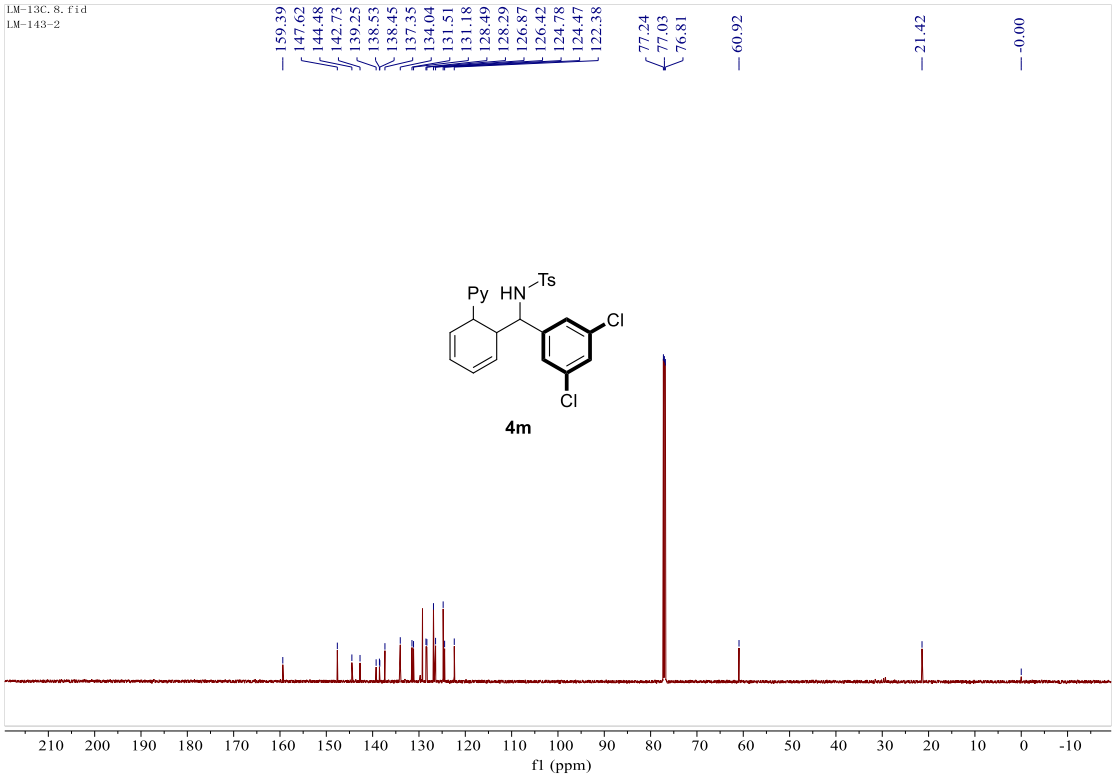
^{13}C NMR (101 MHz, $CDCl_3$) for **4l**



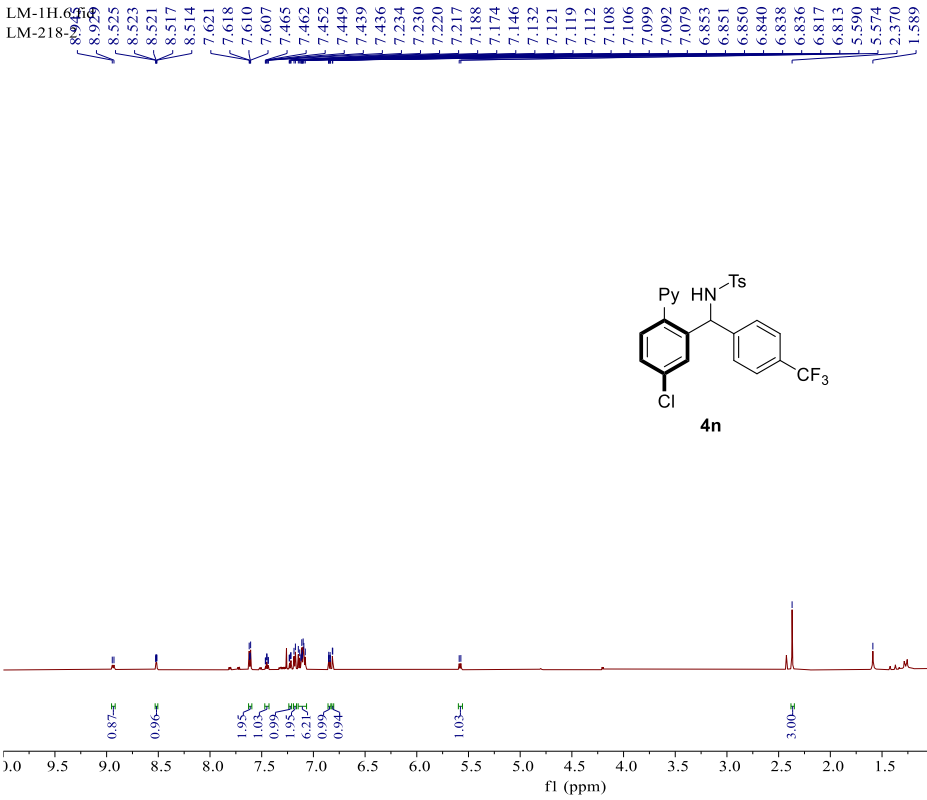
^{1}H NMR (600 MHz, $CDCl_3$) for **4m**



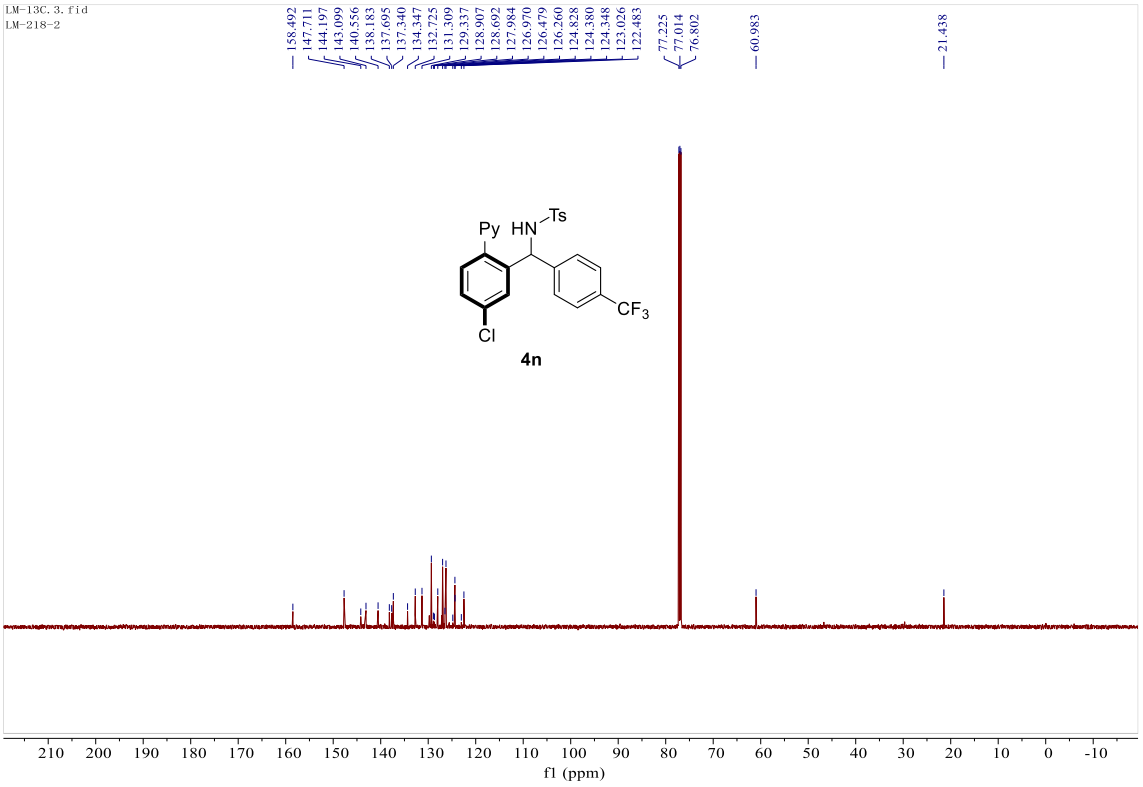
^{13}C NMR (151 MHz, $CDCl_3$) for **4m**



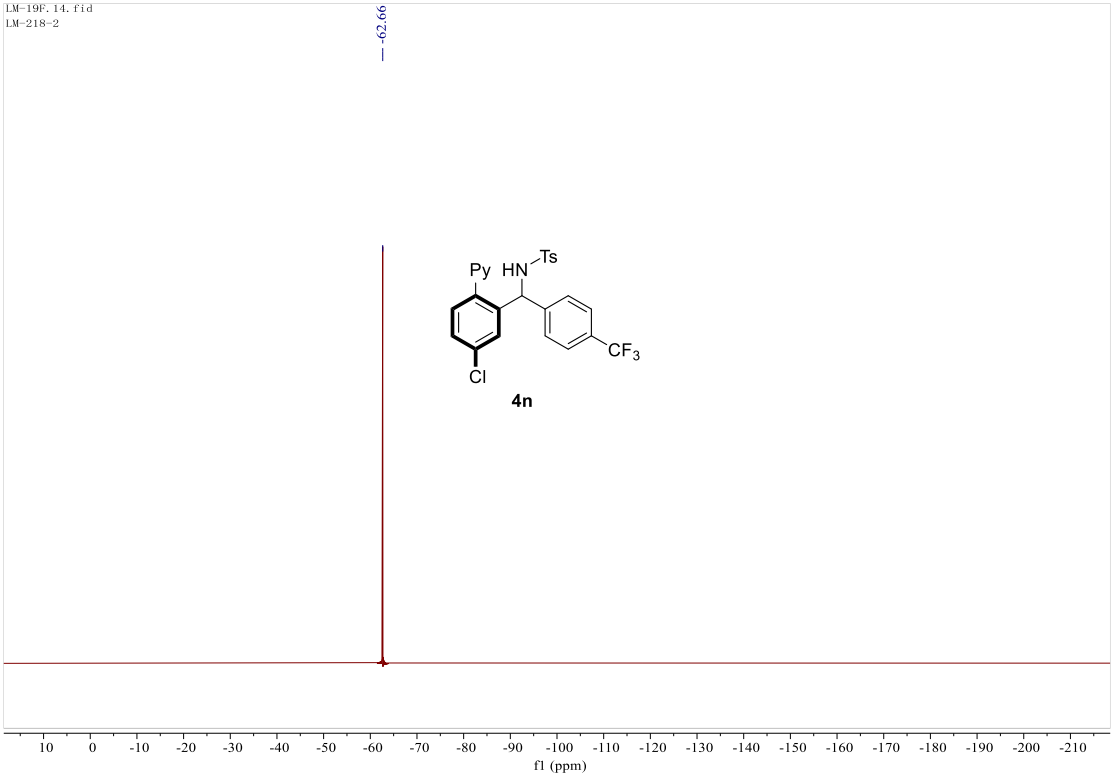
^{1}H NMR (600 MHz, $CDCl_3$) for **4n**



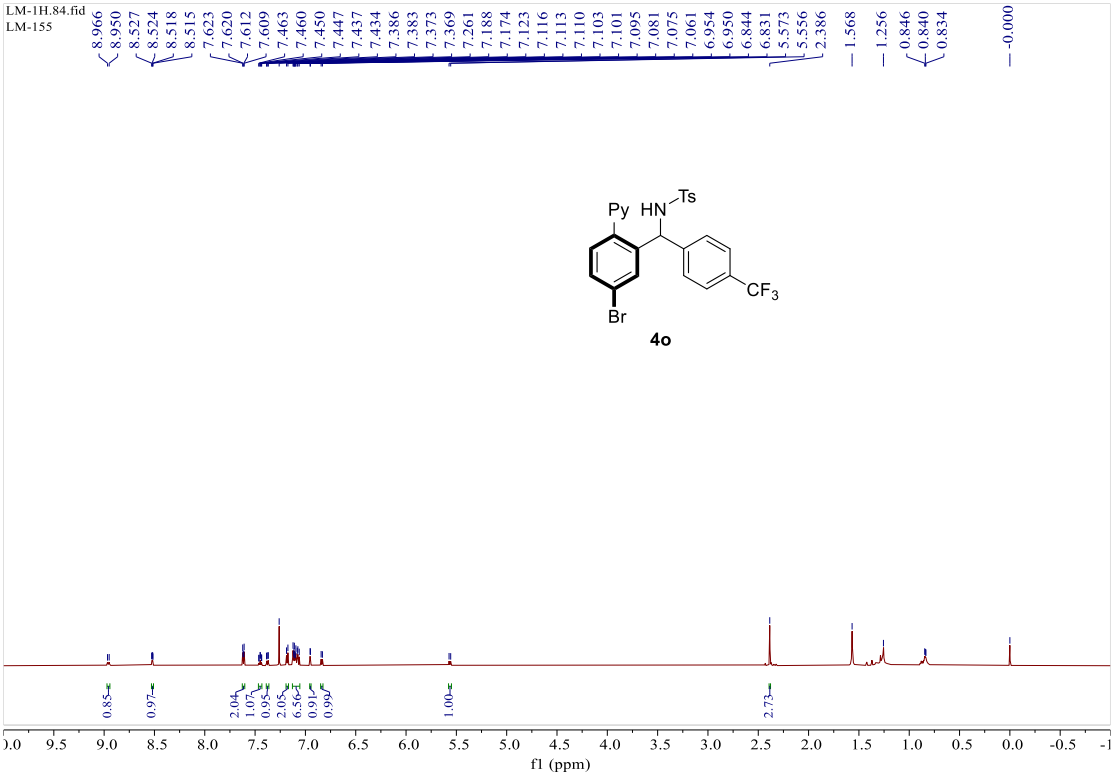
^{13}C NMR (151 MHz, $CDCl_3$) for **4n**



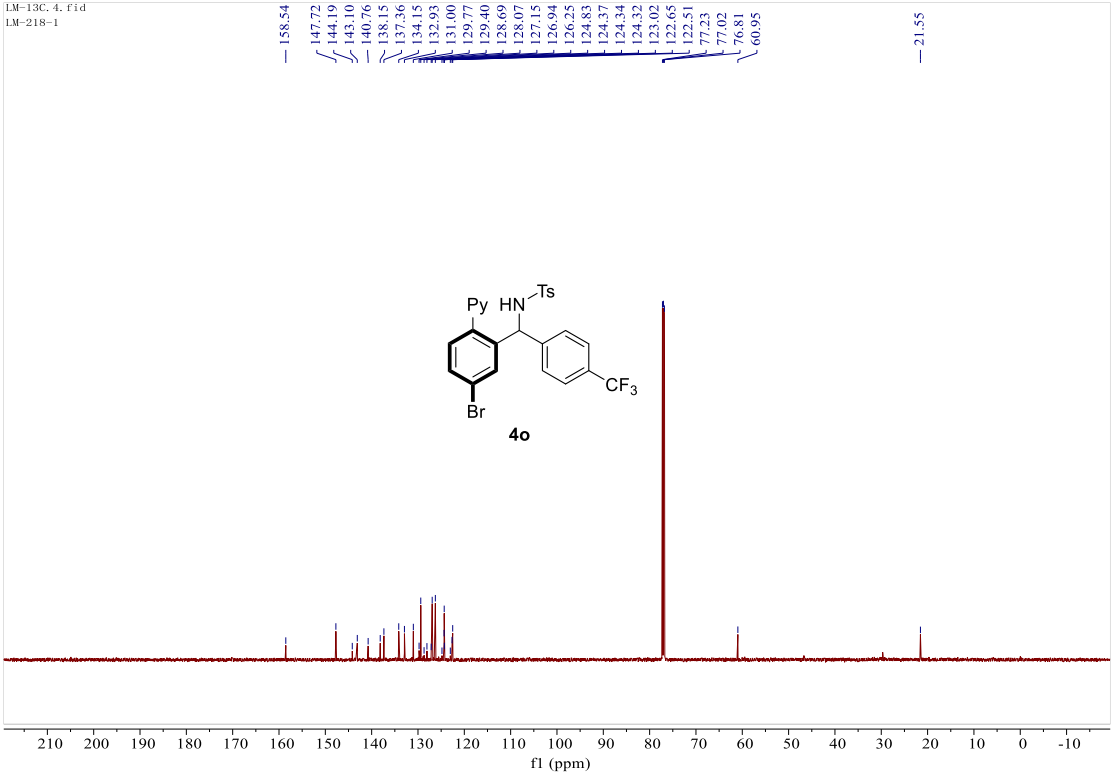
^{19}F NMR (565 MHz, $CDCl_3$) for **4n**



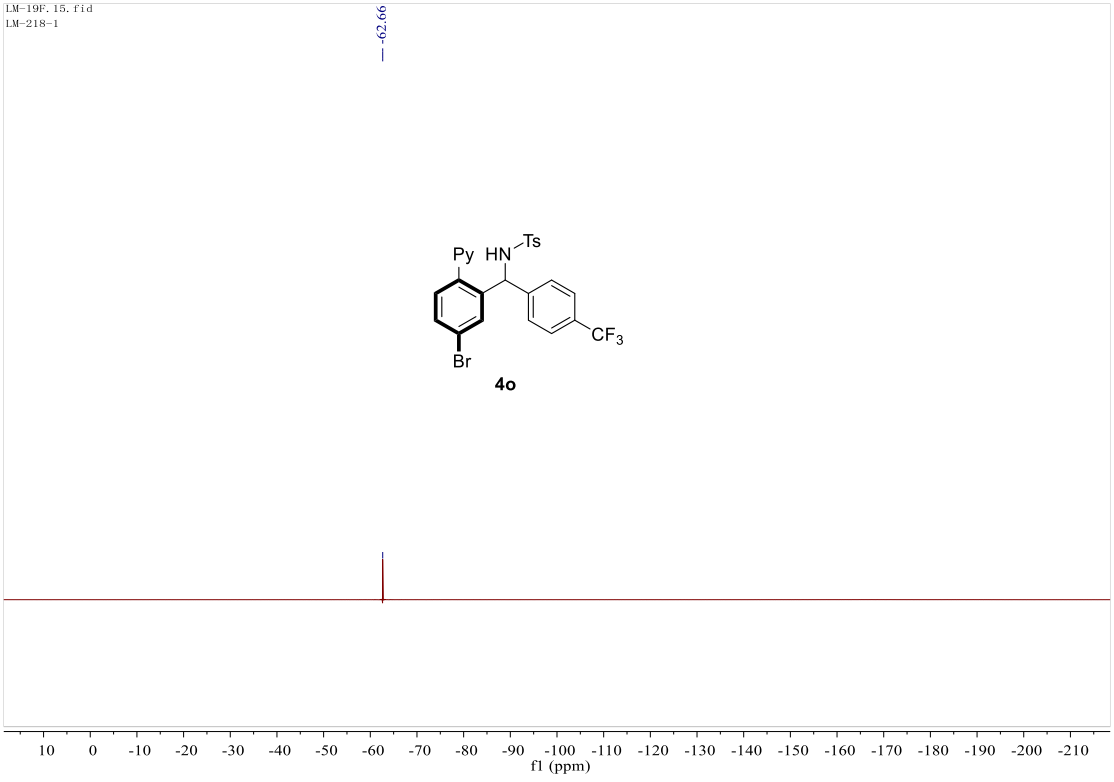
^{1}H NMR (600 MHz, $CDCl_3$) for **4o**



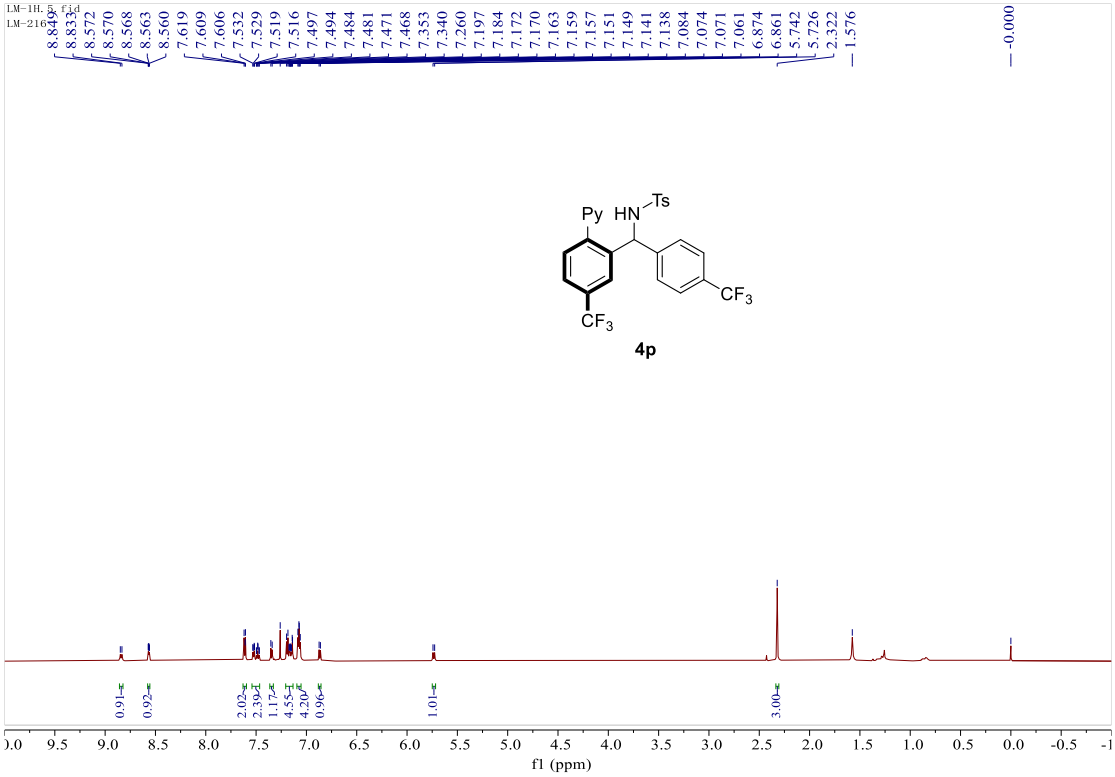
^{13}C NMR (151 MHz, $CDCl_3$) for **4o**



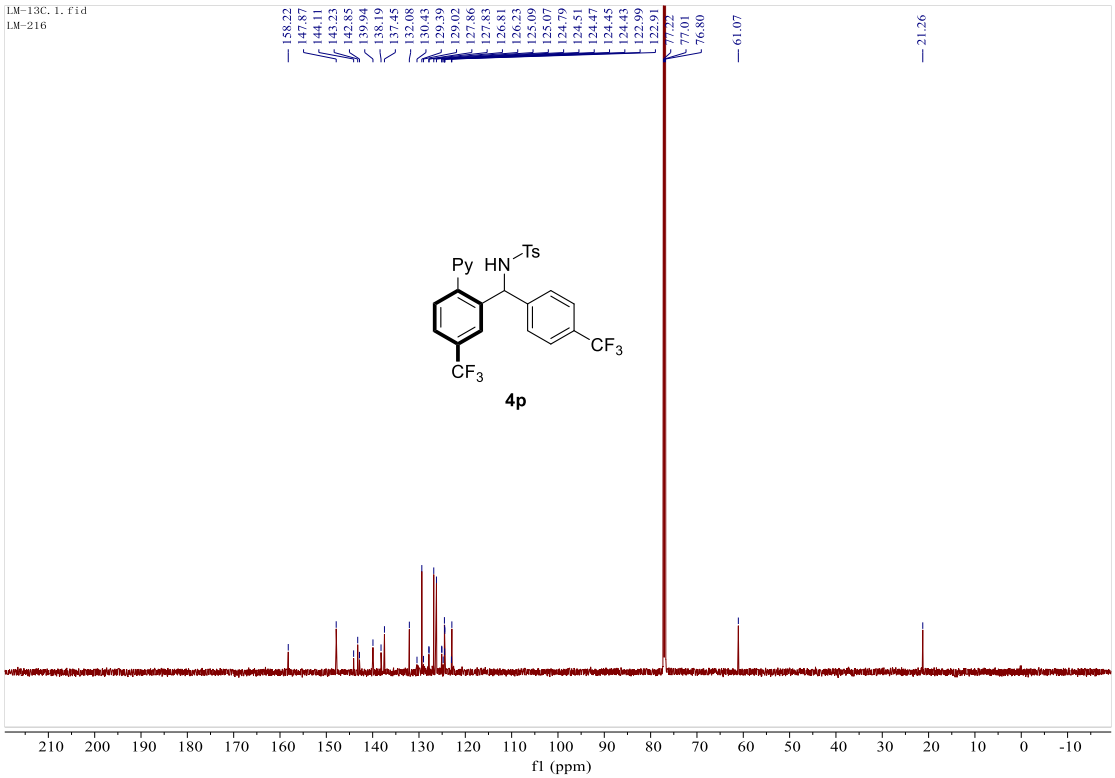
^{19}F NMR (565 MHz, $CDCl_3$) for **4o**



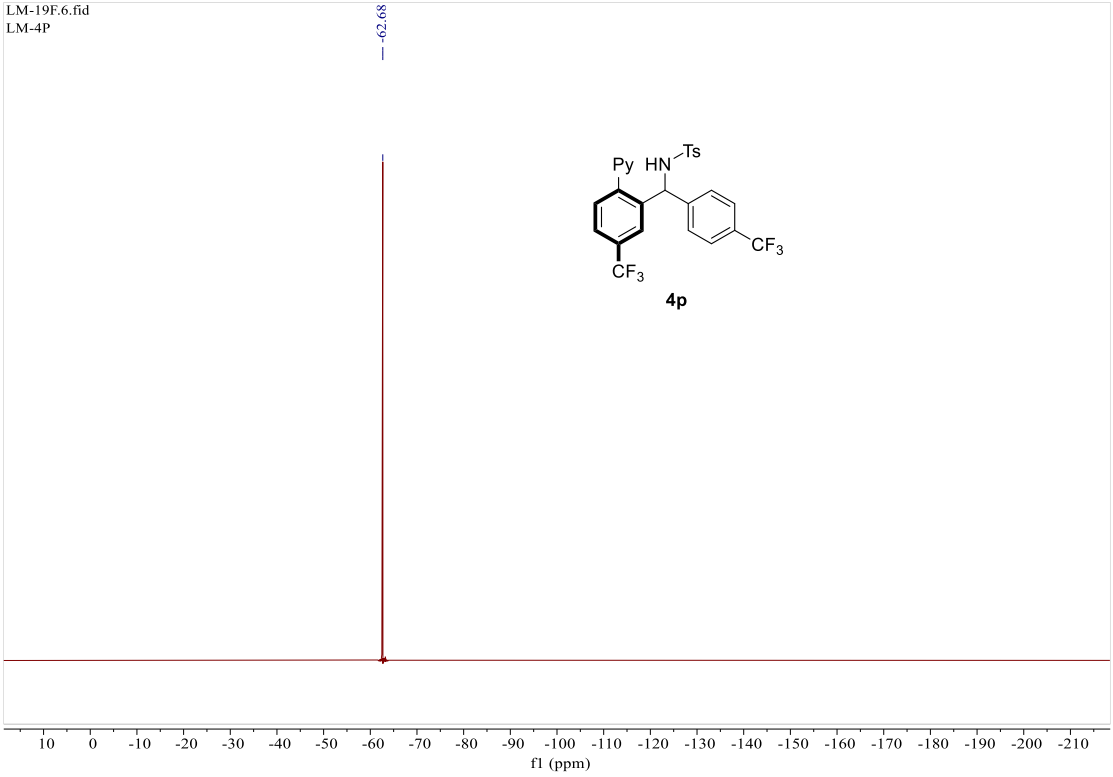
^{1}H NMR (600 MHz, $CDCl_3$) for **4p**



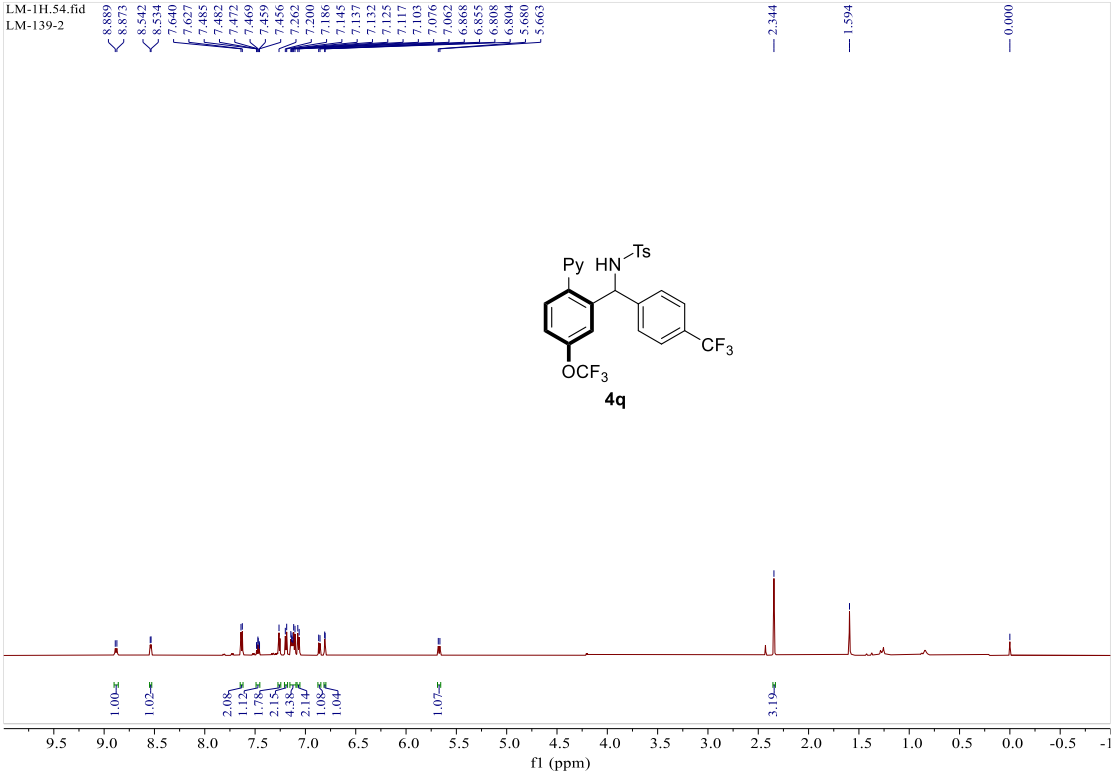
^{13}C NMR (151 MHz, $CDCl_3$) for **4p**



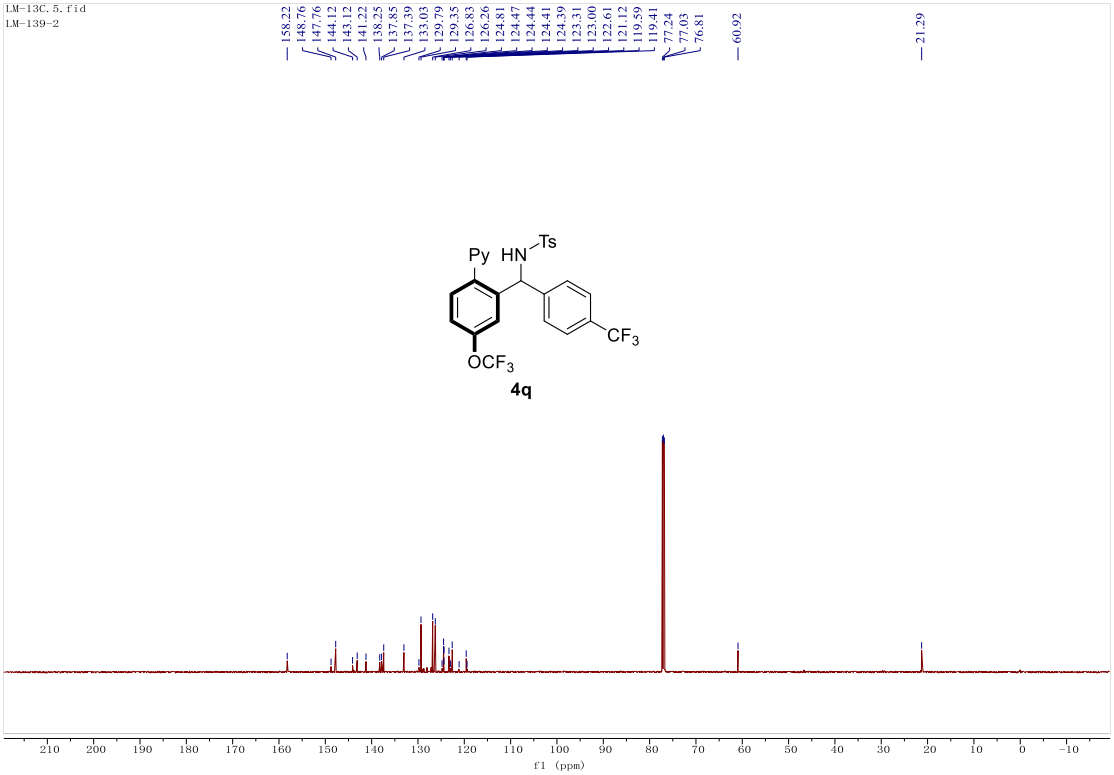
^{19}F NMR (565 MHz, $CDCl_3$) for **4p**



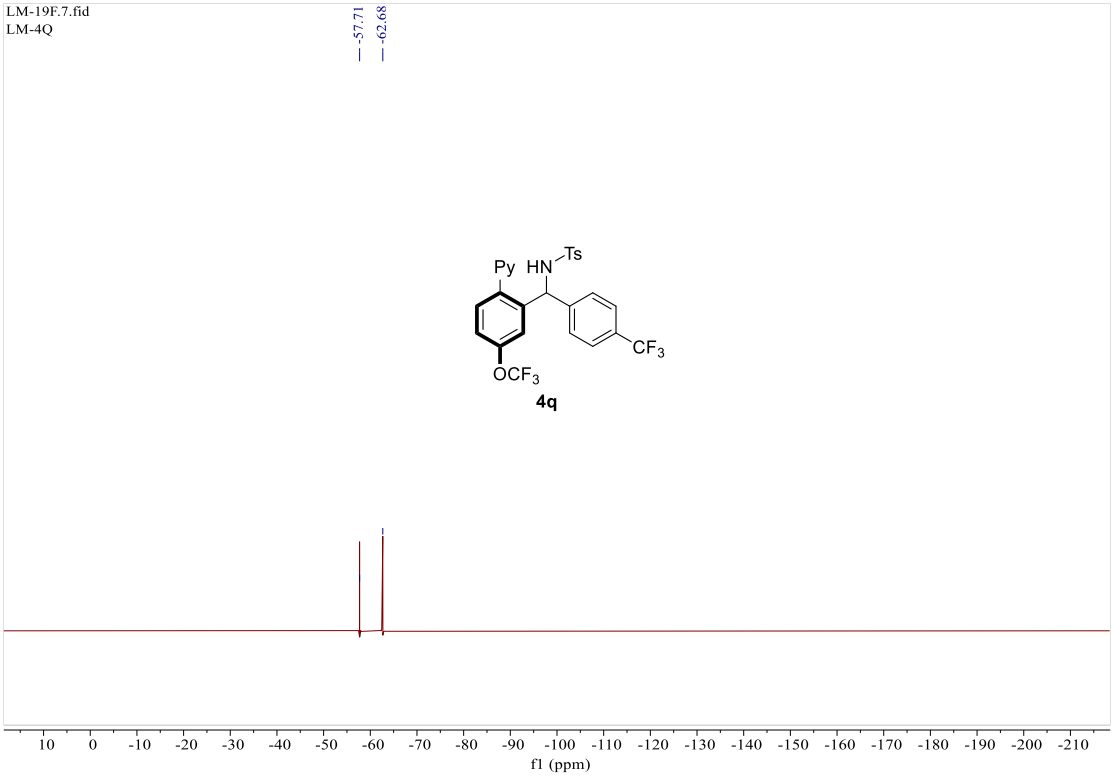
^{1}H NMR (600 MHz, $CDCl_3$) for **4q**



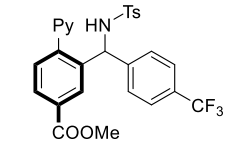
^{13}C NMR (151 MHz, $CDCl_3$) for **4q**



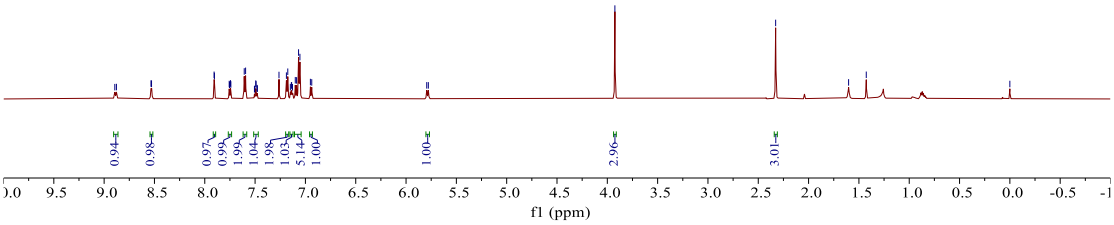
^{19}F NMR (565 MHz, $CDCl_3$) for **4q**



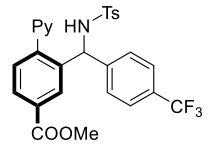
^{1}H NMR (600 MHz, $CDCl_3$) for **4r**



4r

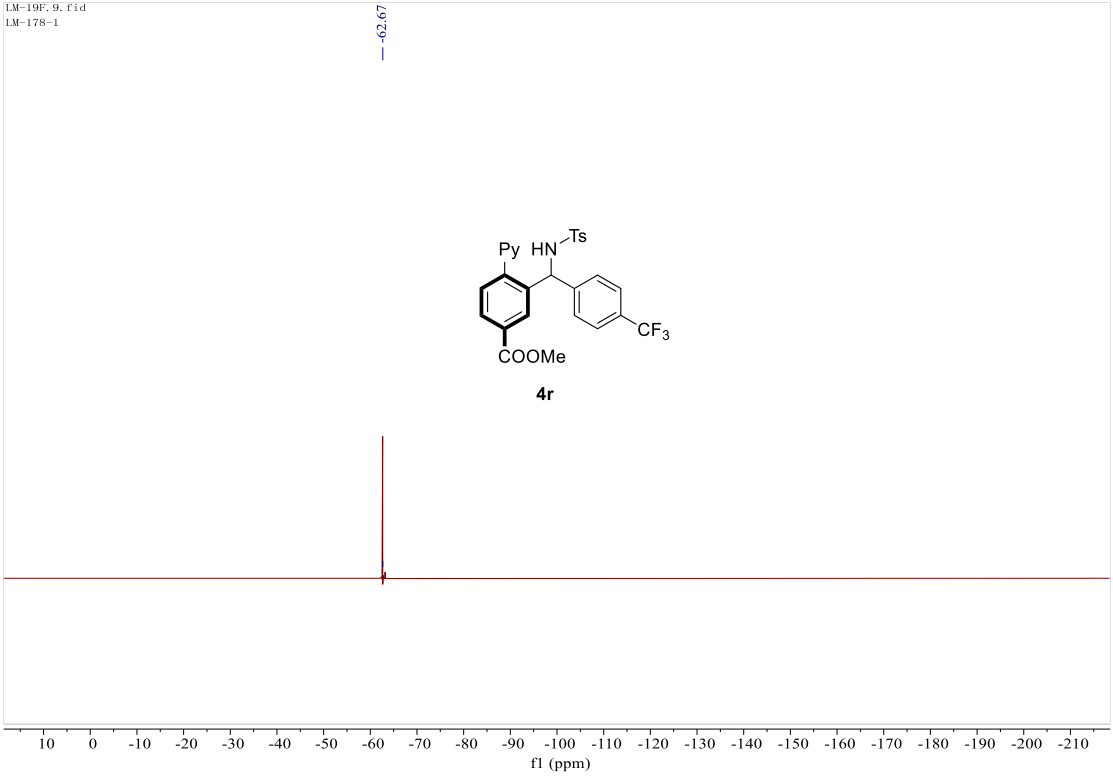


^{13}C NMR (151 MHz, $CDCl_3$) for **4r**

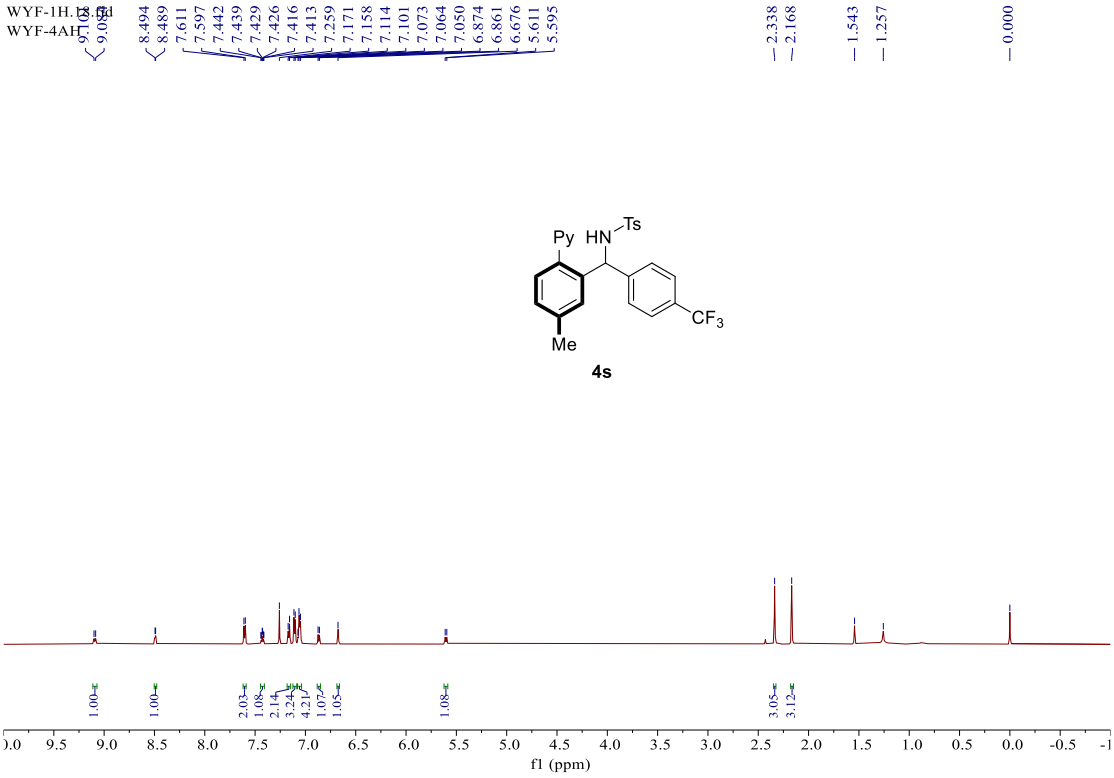


4r

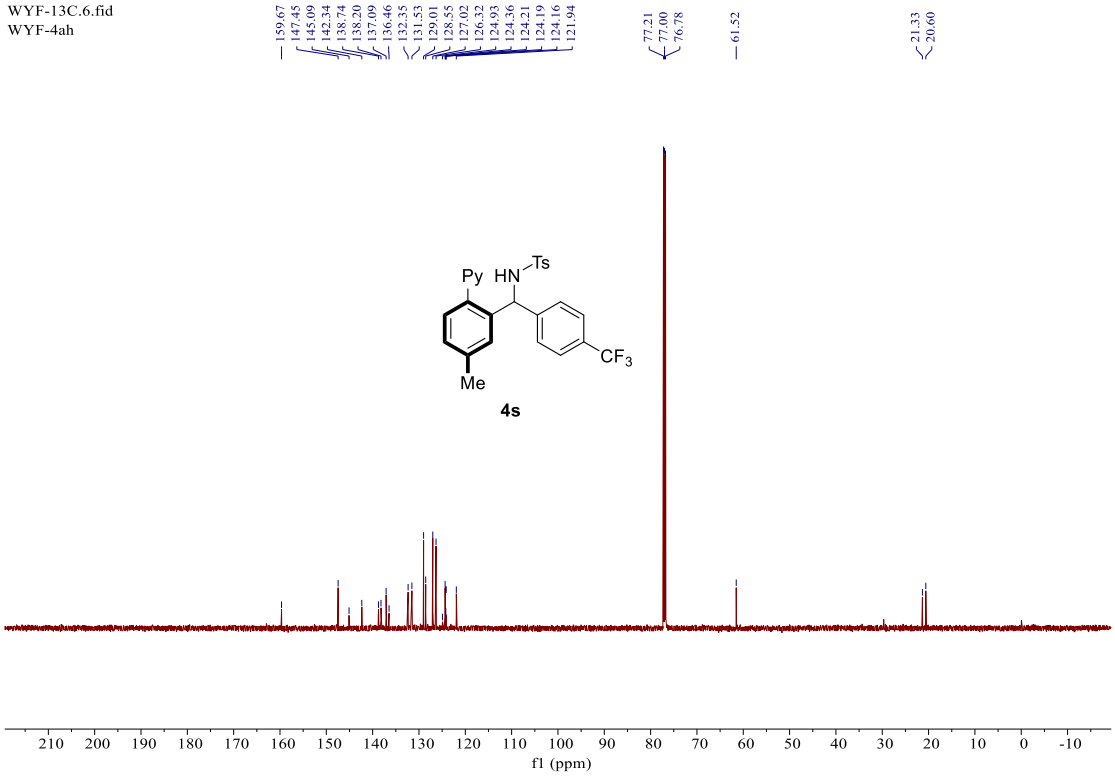
^{19}F NMR (565 MHz, $CDCl_3$) for **4r**



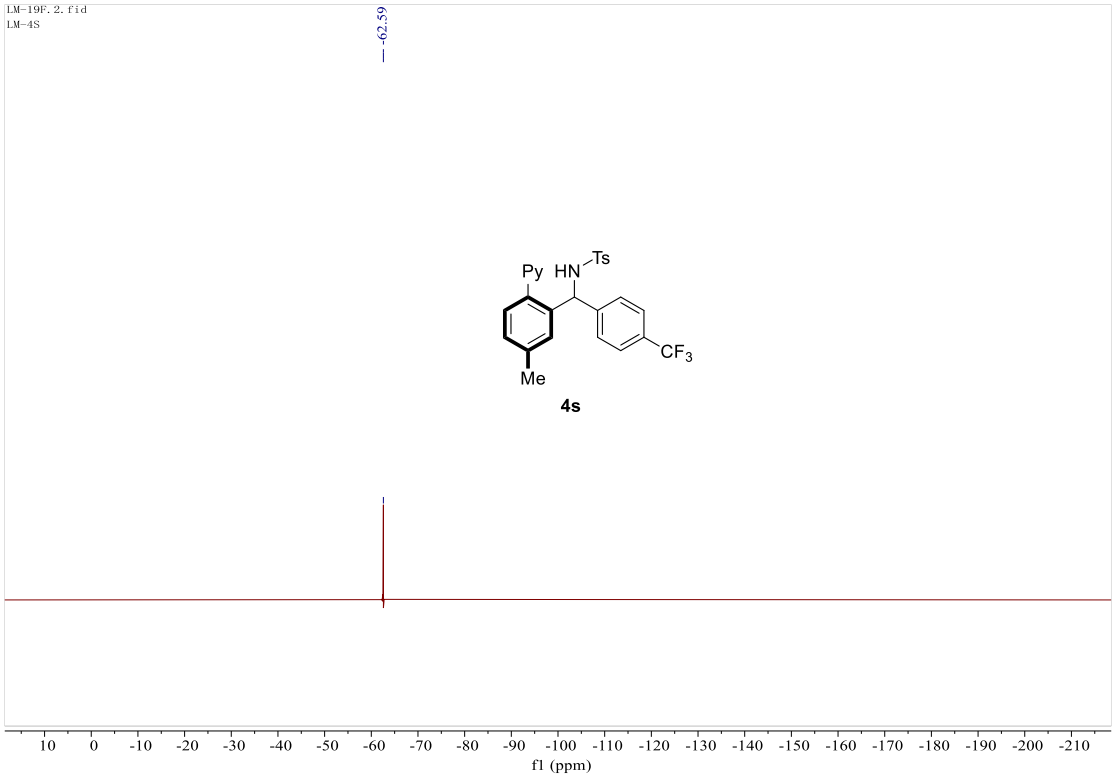
^{1}H NMR (600 MHz, $CDCl_3$) for **4s**



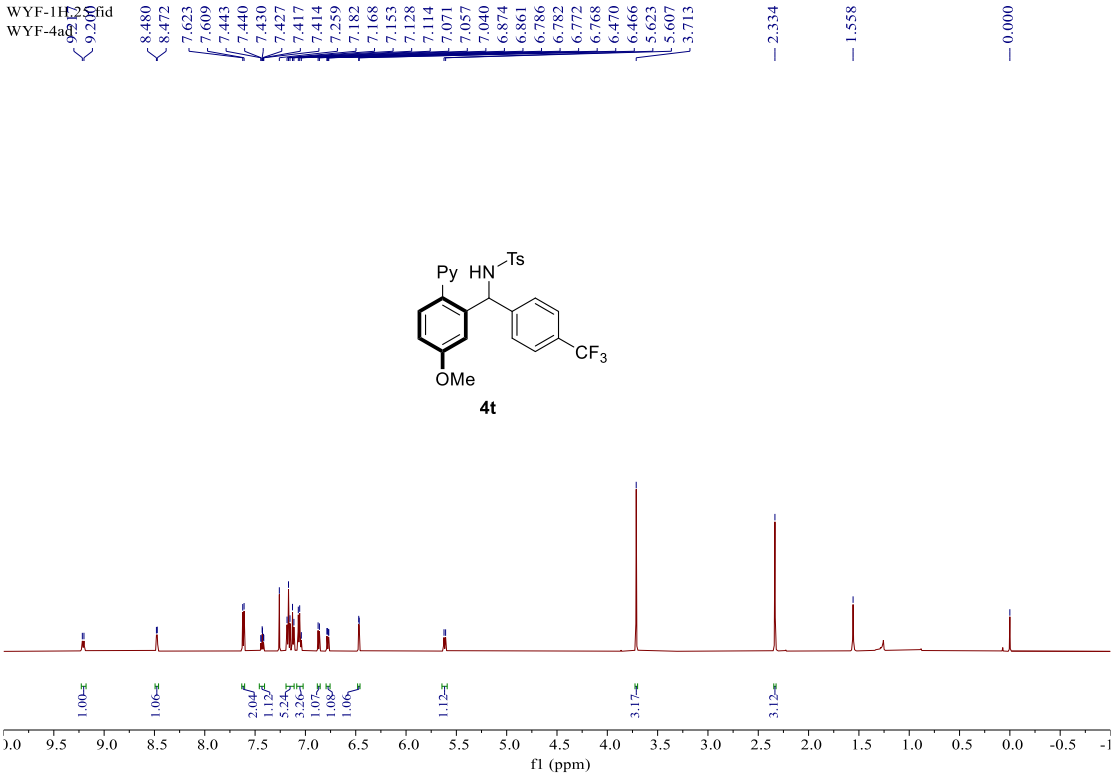
^{13}C NMR (151 MHz, $CDCl_3$) for **4s**



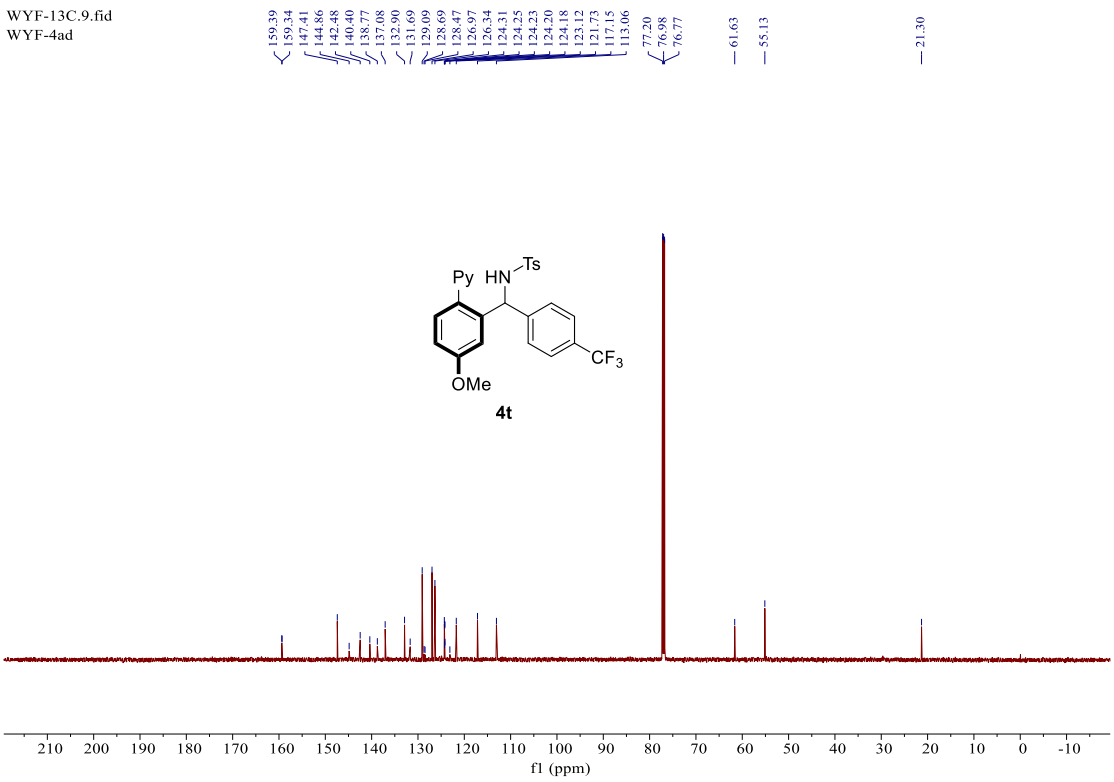
^{19}F NMR (565 MHz, $CDCl_3$) for **4s**



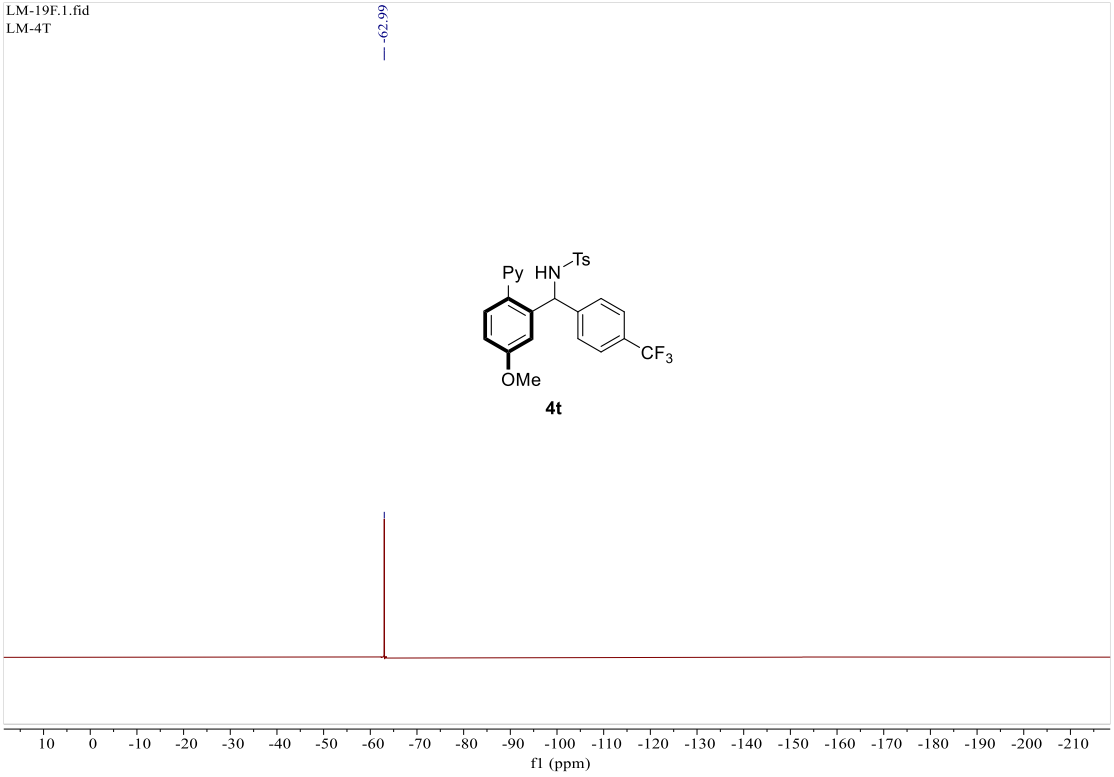
^{1}H NMR (600 MHz, $CDCl_3$) for **4t**



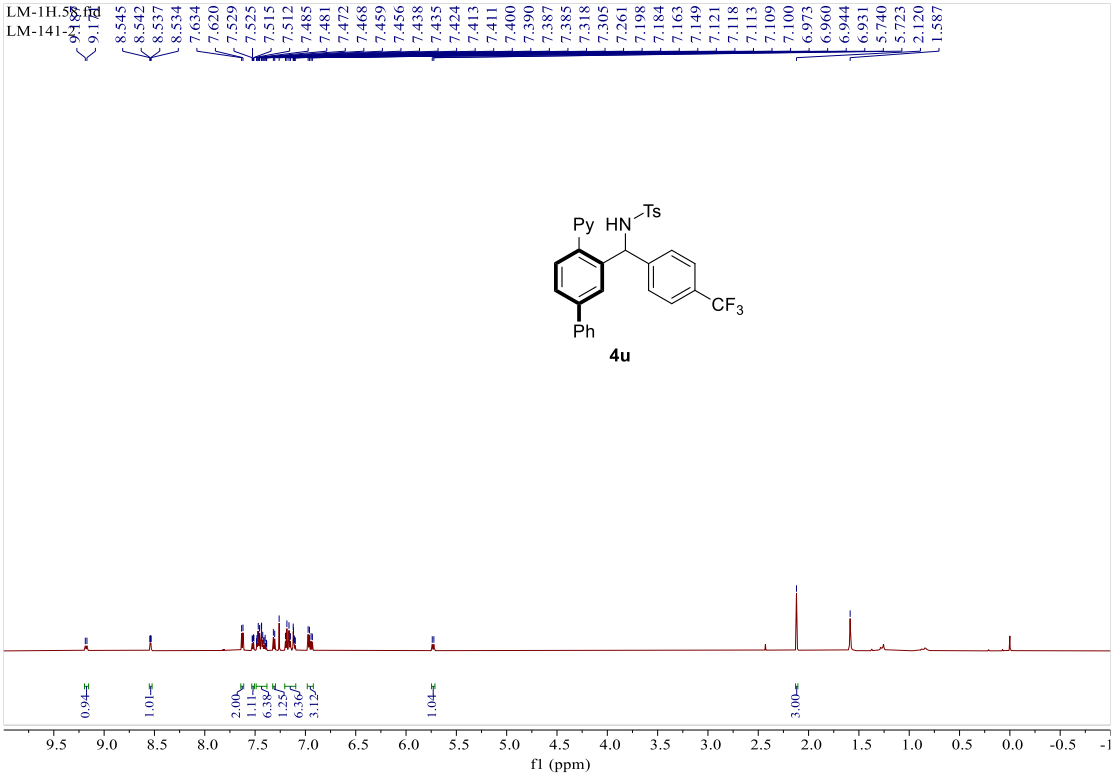
^{13}C NMR (151 MHz, $CDCl_3$) for **4t**



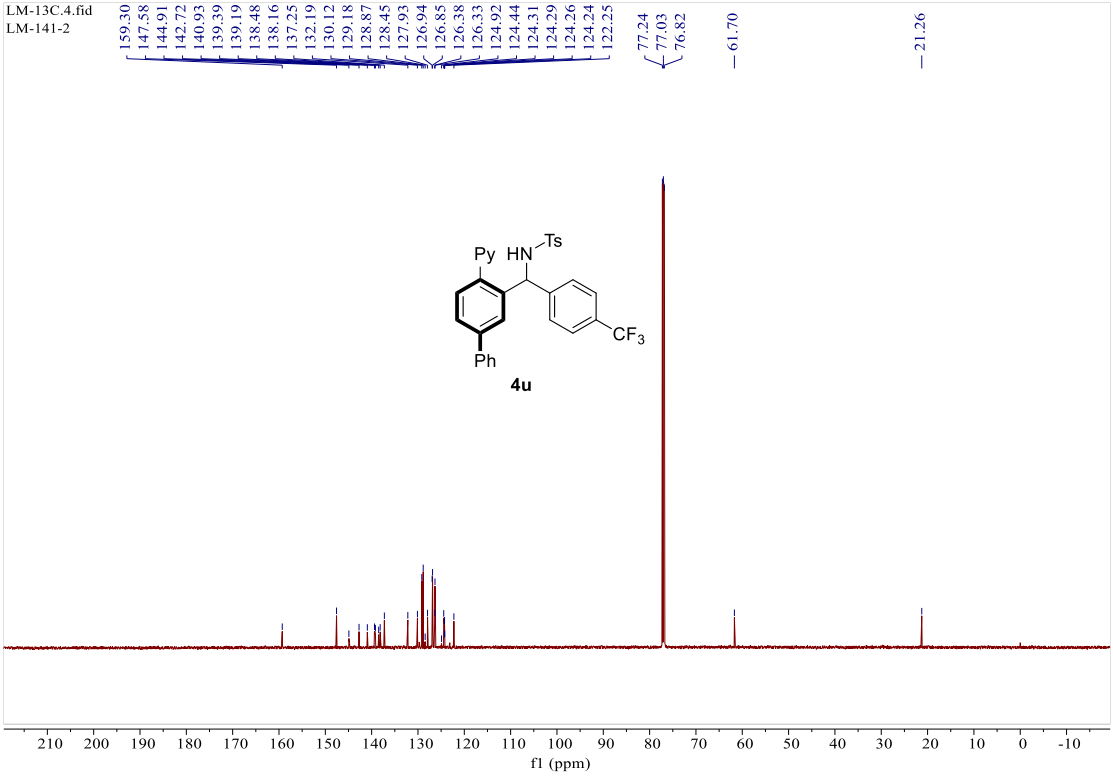
^{19}F NMR (565 MHz, $CDCl_3$) for **4t**



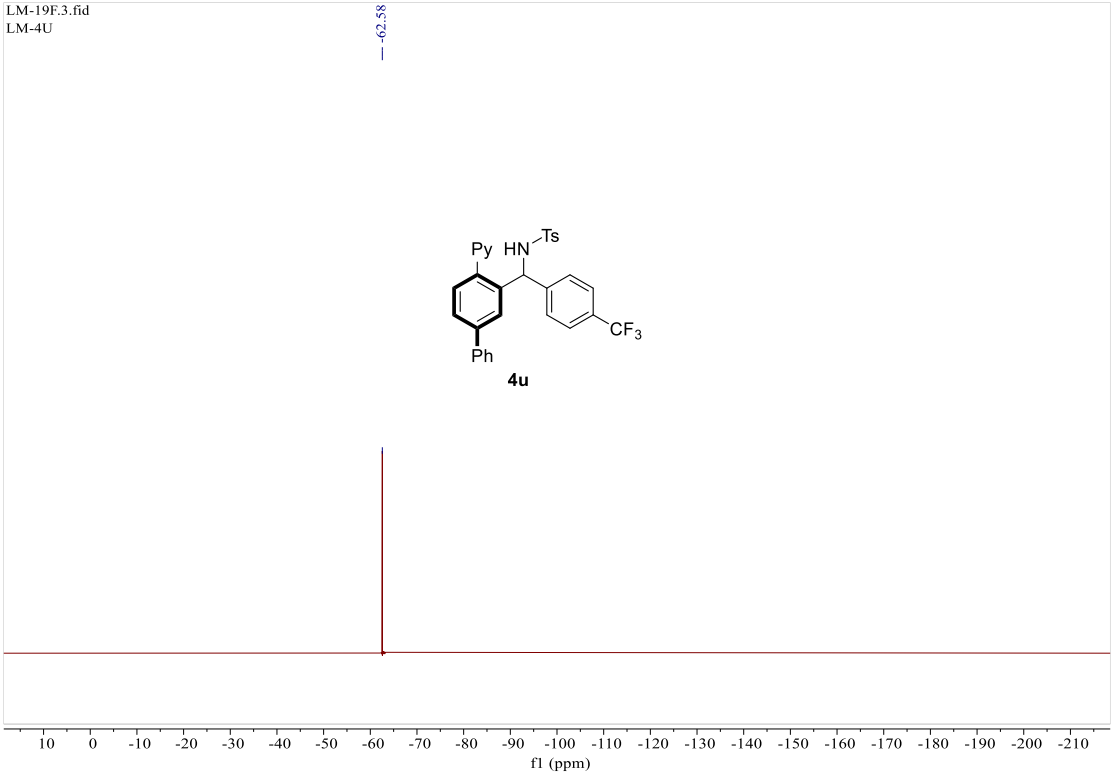
^{1}H NMR (600 MHz, $CDCl_3$) for **4u**



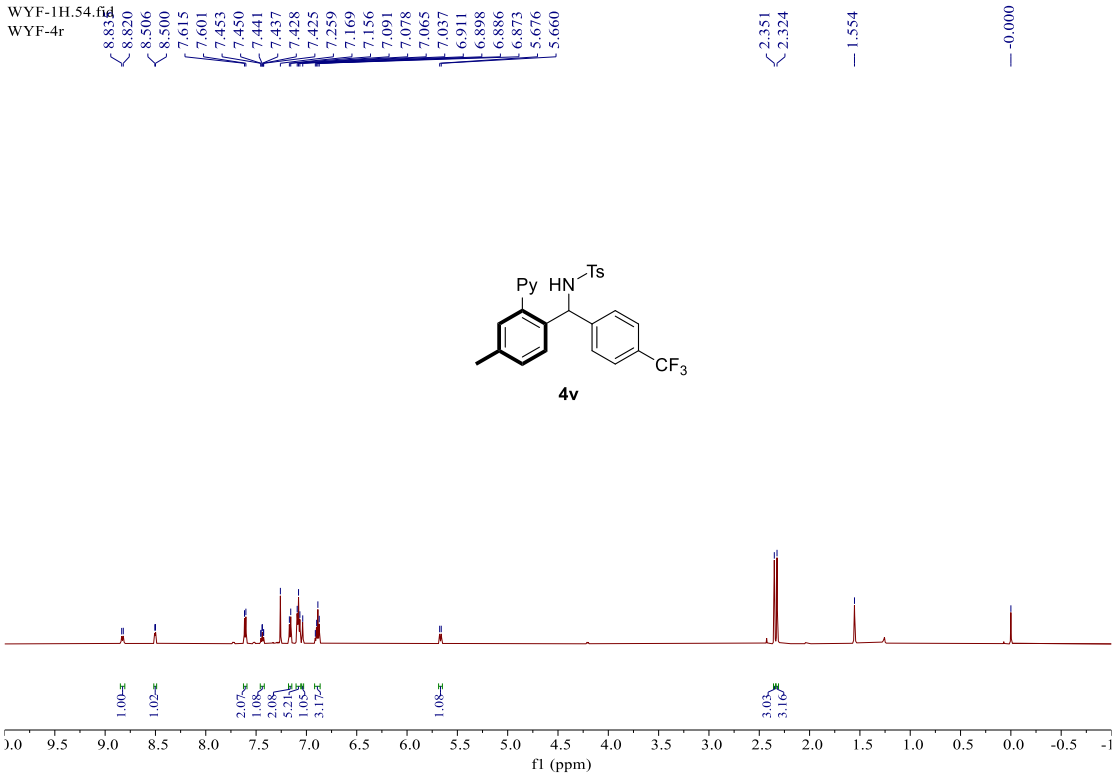
^{13}C NMR (151 MHz, $CDCl_3$) for **4u**



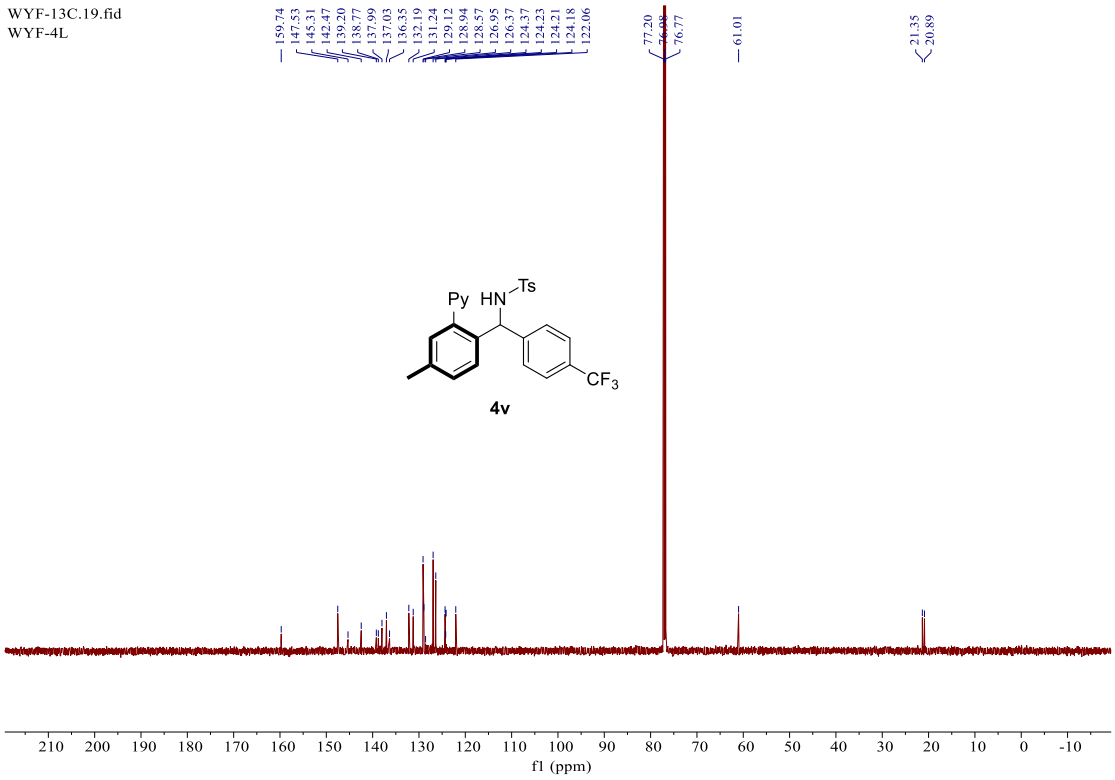
^{19}F NMR (565 MHz, $CDCl_3$) for **4u**



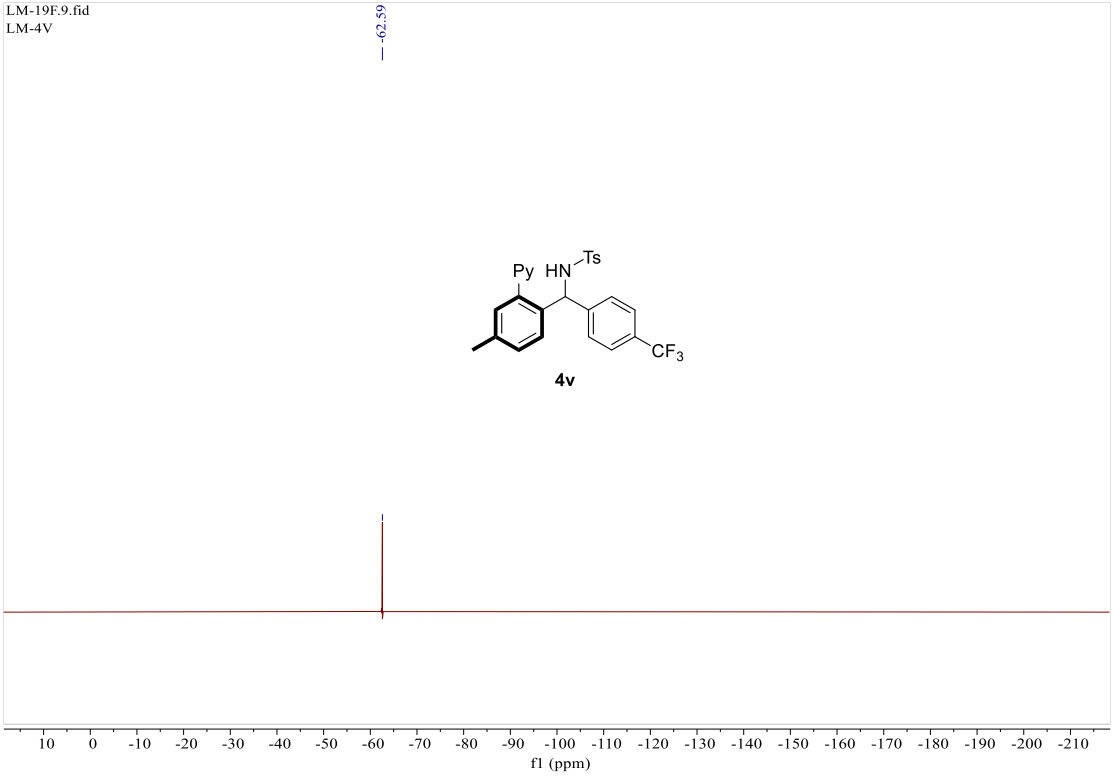
^{1}H NMR (600 MHz, $CDCl_3$) for **4v**



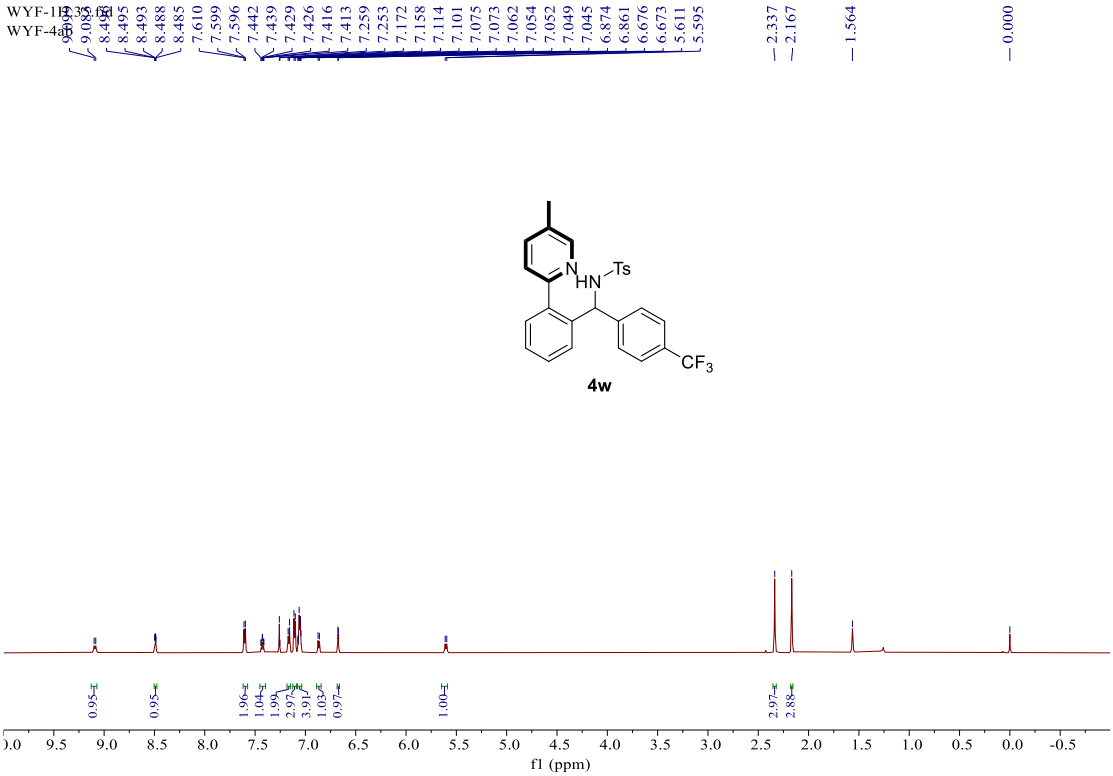
^{13}C NMR (151 MHz, $CDCl_3$) for **4v**



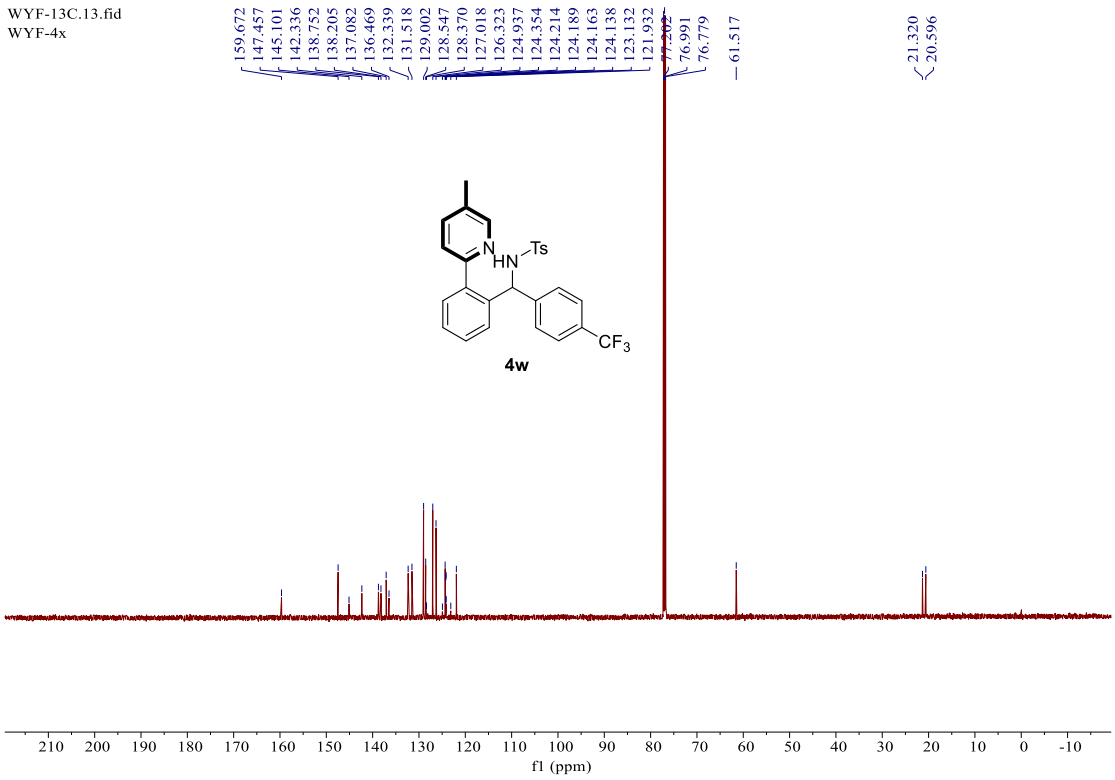
^{19}F NMR (565 MHz, $CDCl_3$) for **4v**



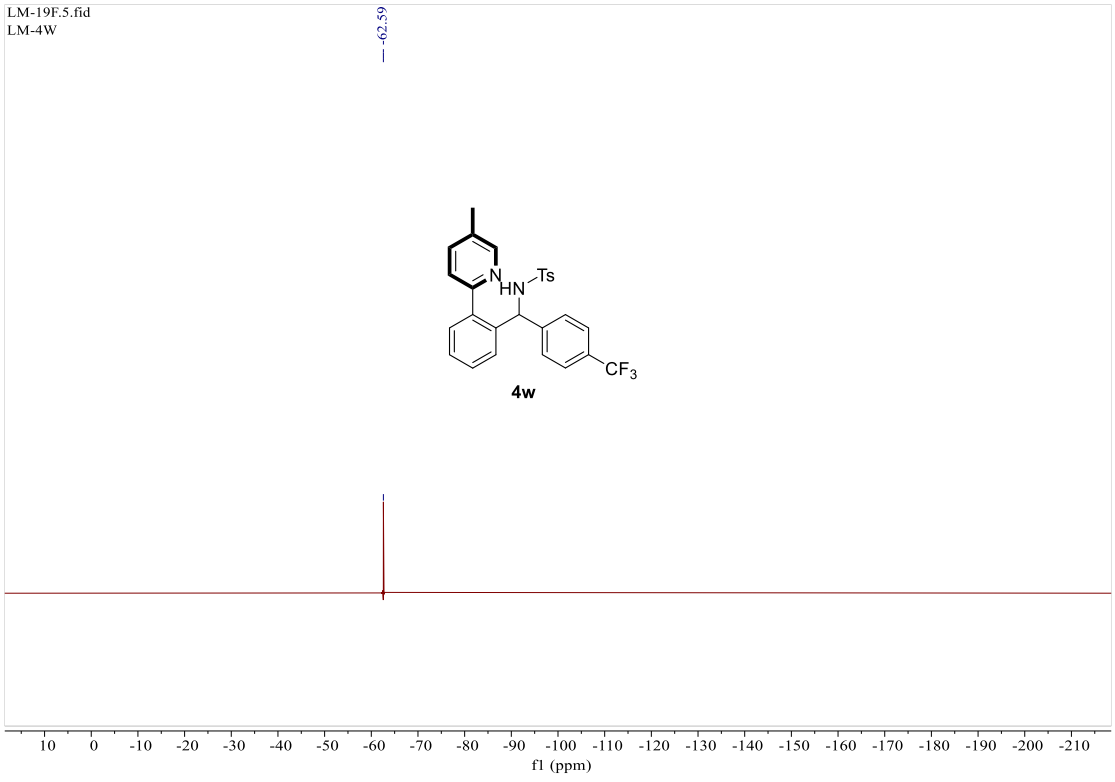
^{1}H NMR (600 MHz, $CDCl_3$) for **4w**



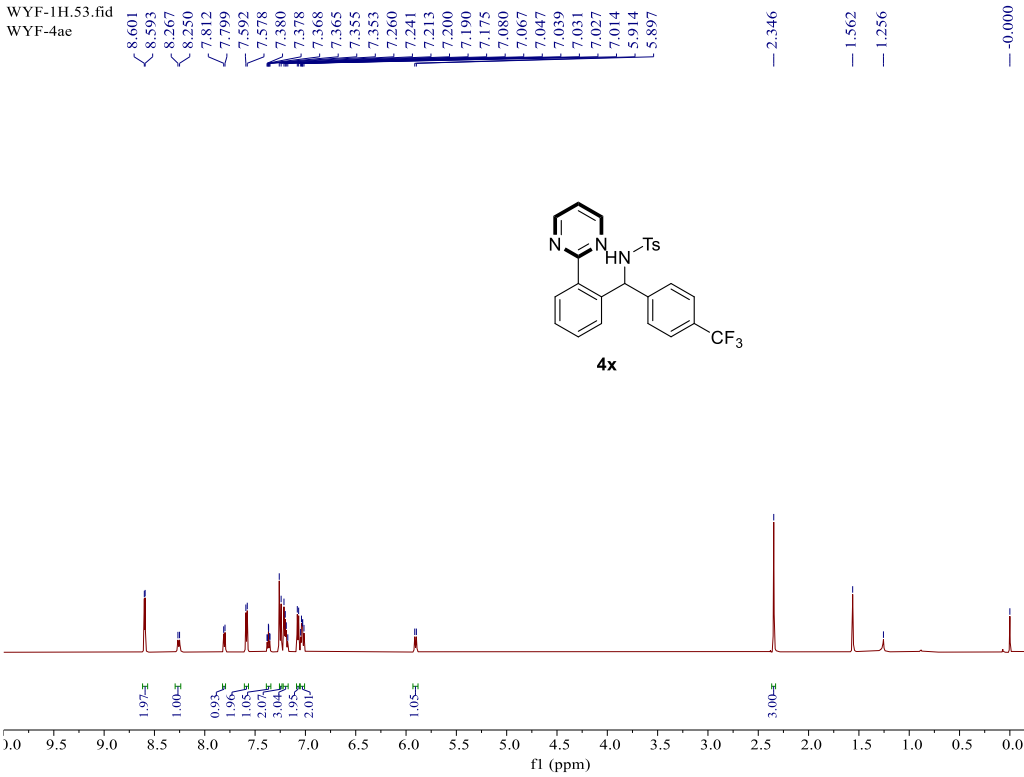
^{13}C NMR (151 MHz, $CDCl_3$) for **4w**



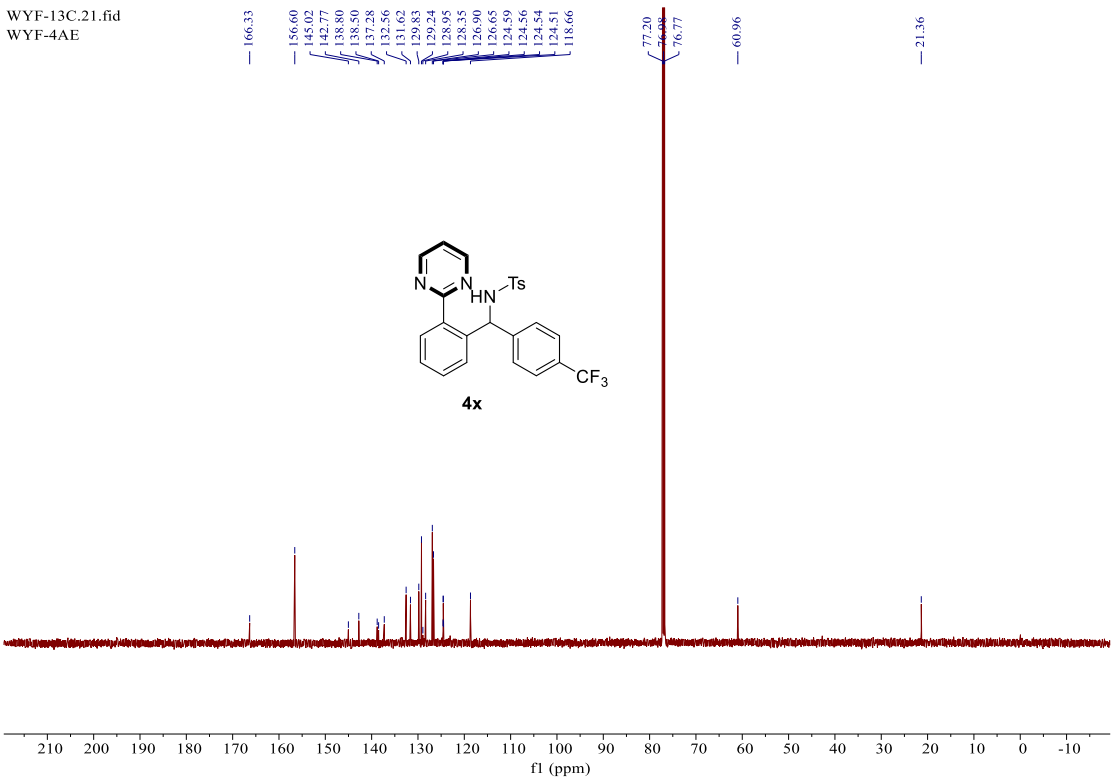
^{19}F NMR (565 MHz, $CDCl_3$) for **4w**



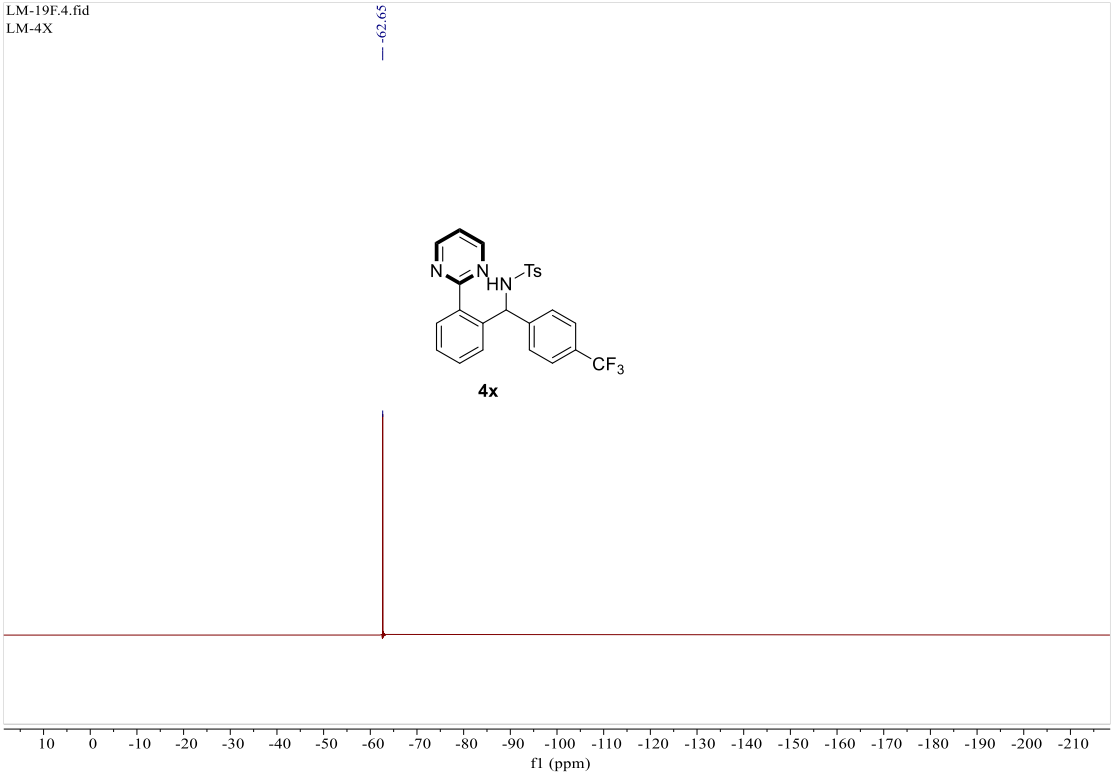
^{1}H NMR (600 MHz, $CDCl_3$) for **4x**



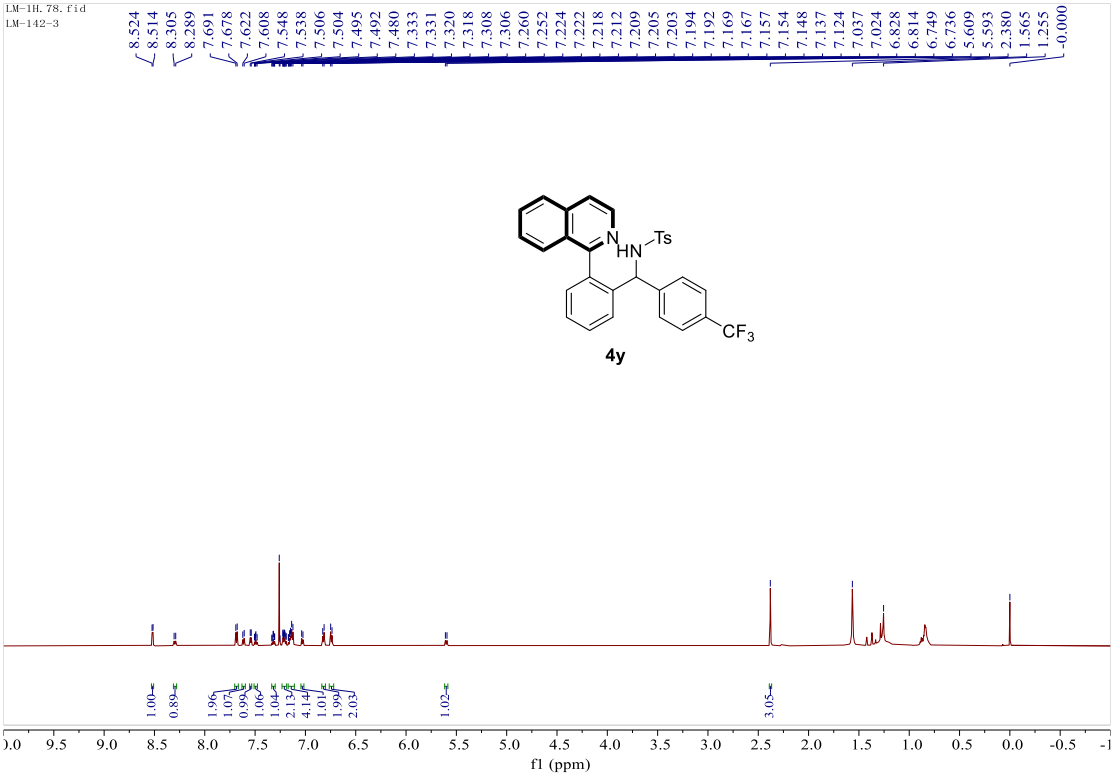
^{13}C NMR (151 MHz, $CDCl_3$) for **4x**



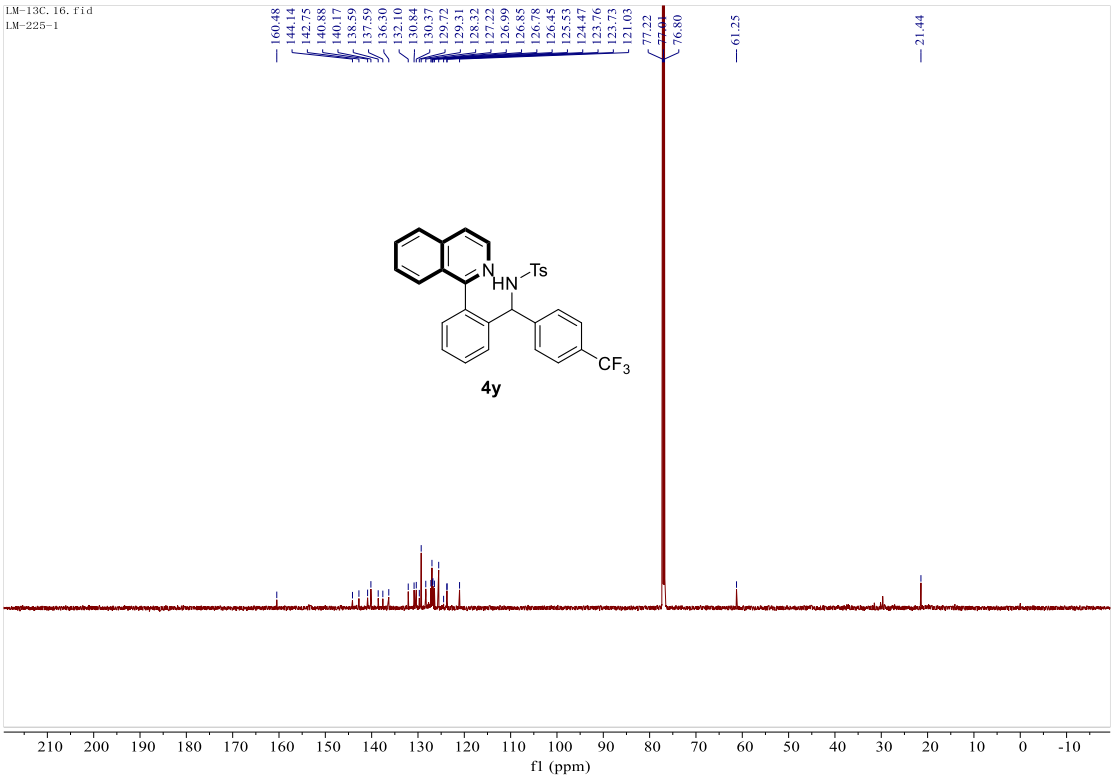
^{19}F NMR (565 MHz, $CDCl_3$) for **4x**



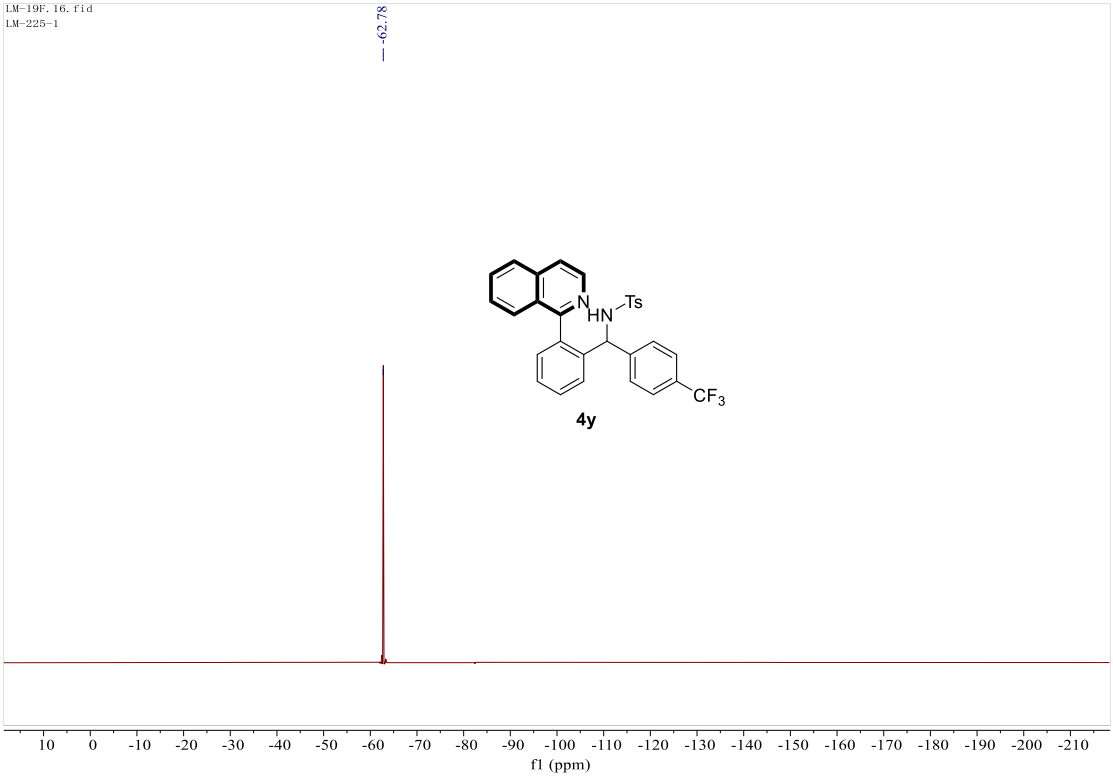
^{1}H NMR (600 MHz, $CDCl_3$) for **4y**



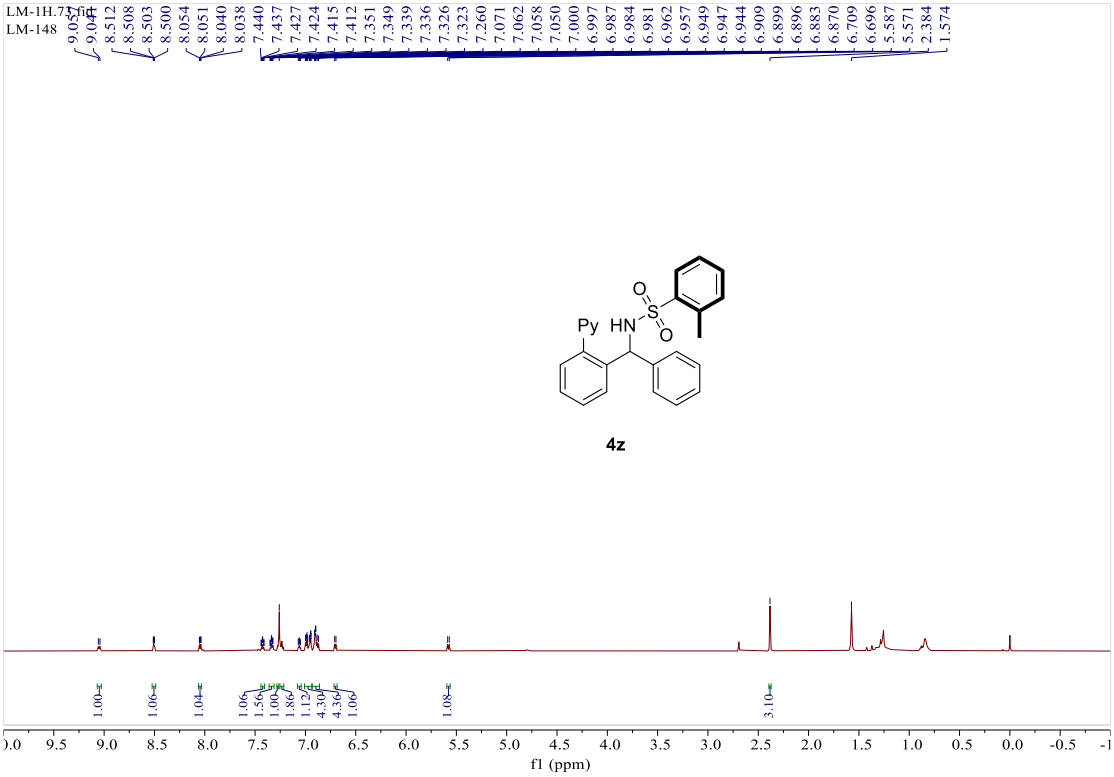
^{13}C NMR (151 MHz, $CDCl_3$) for **4y**



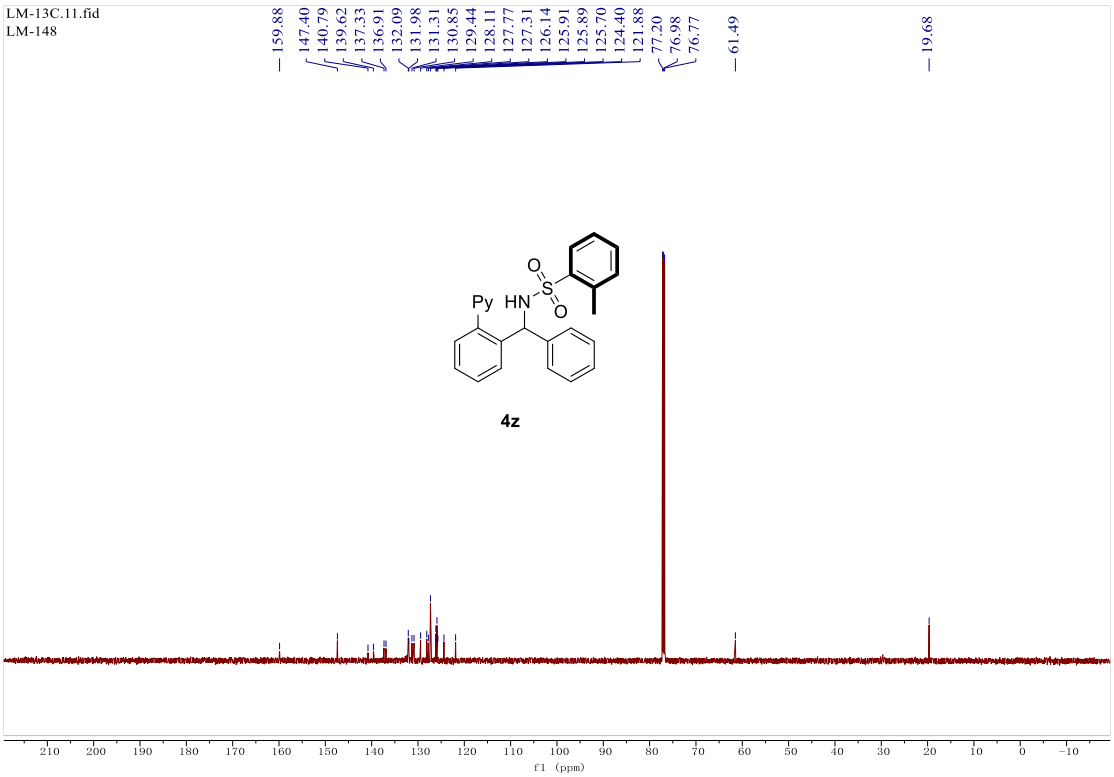
^{19}F NMR (565 MHz, $CDCl_3$) for **4y**



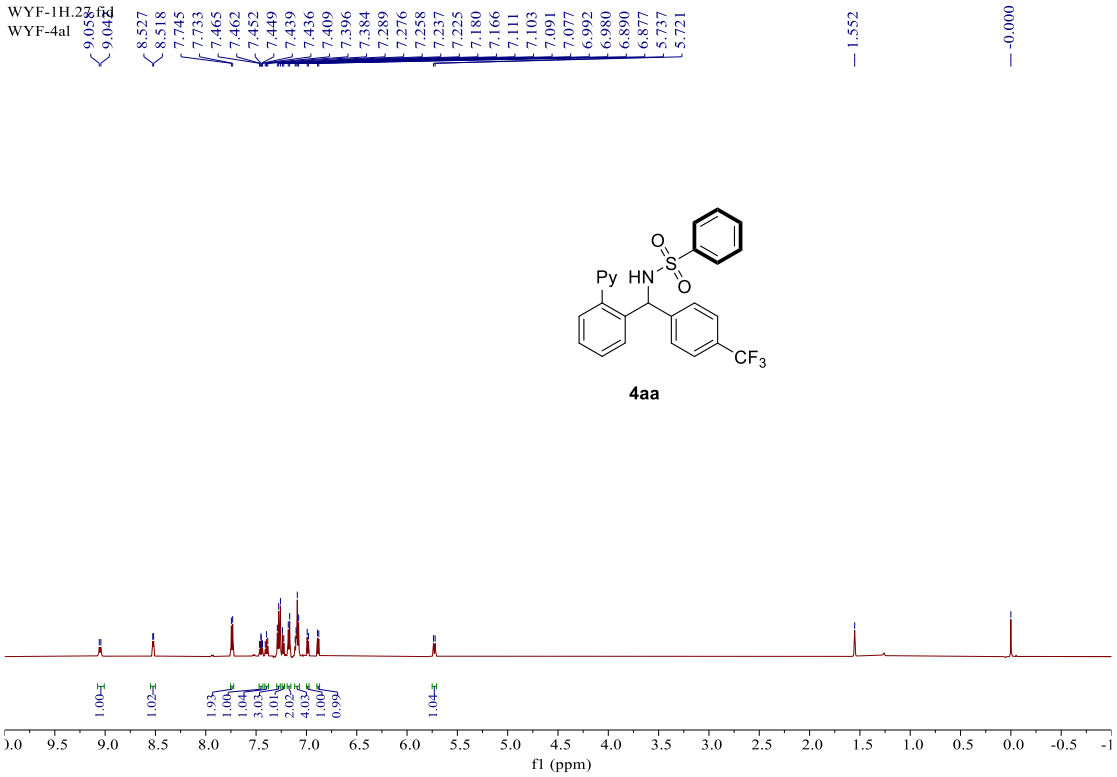
^{1}H NMR (600 MHz, $CDCl_3$) for **4z**



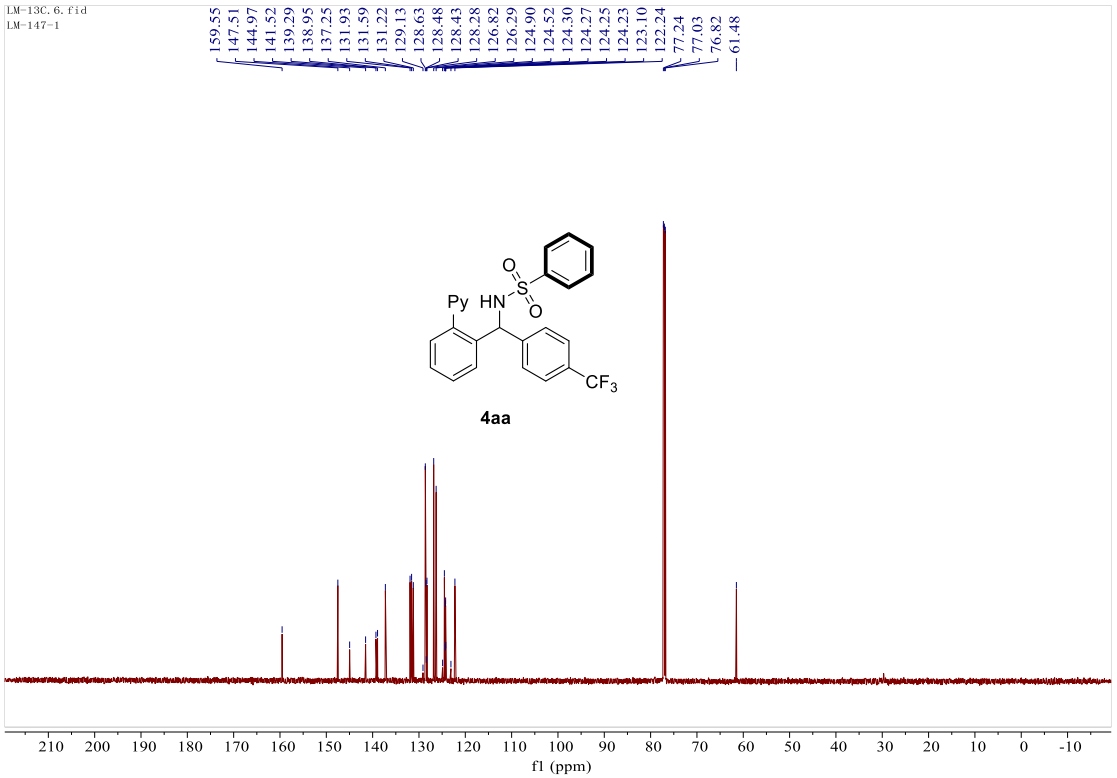
^{13}C NMR (151 MHz, $CDCl_3$) for **4z**



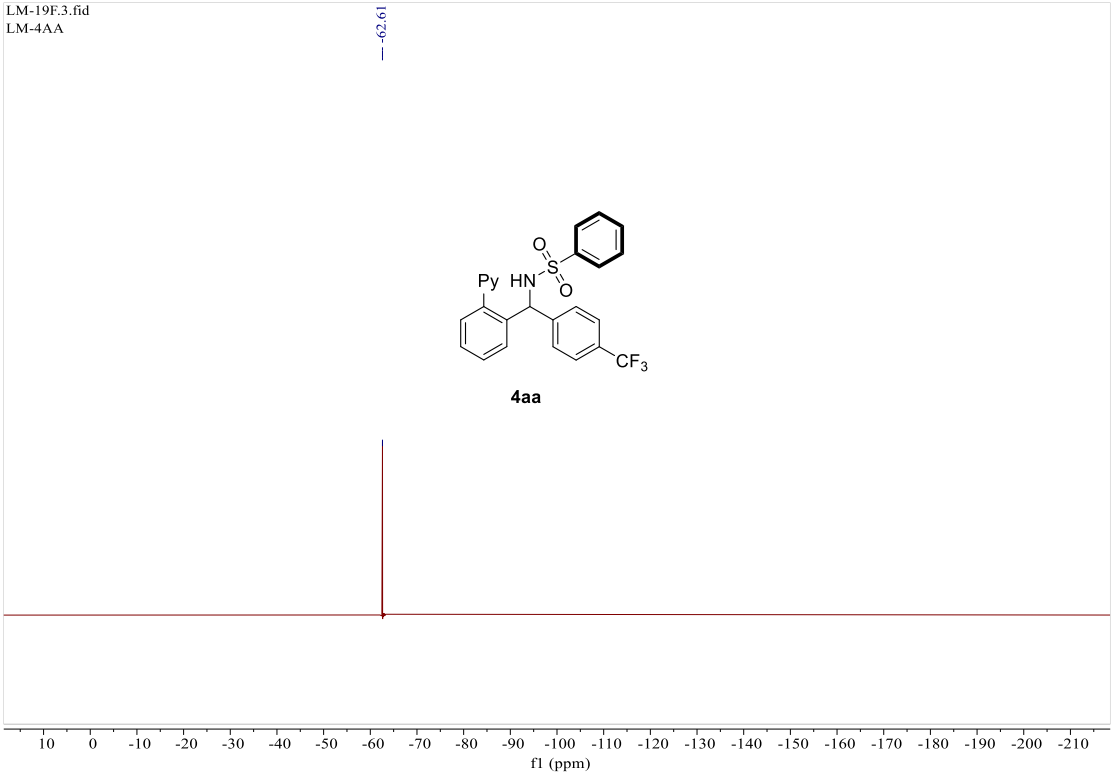
^{1}H NMR (600 MHz, $CDCl_3$) for **4aa**



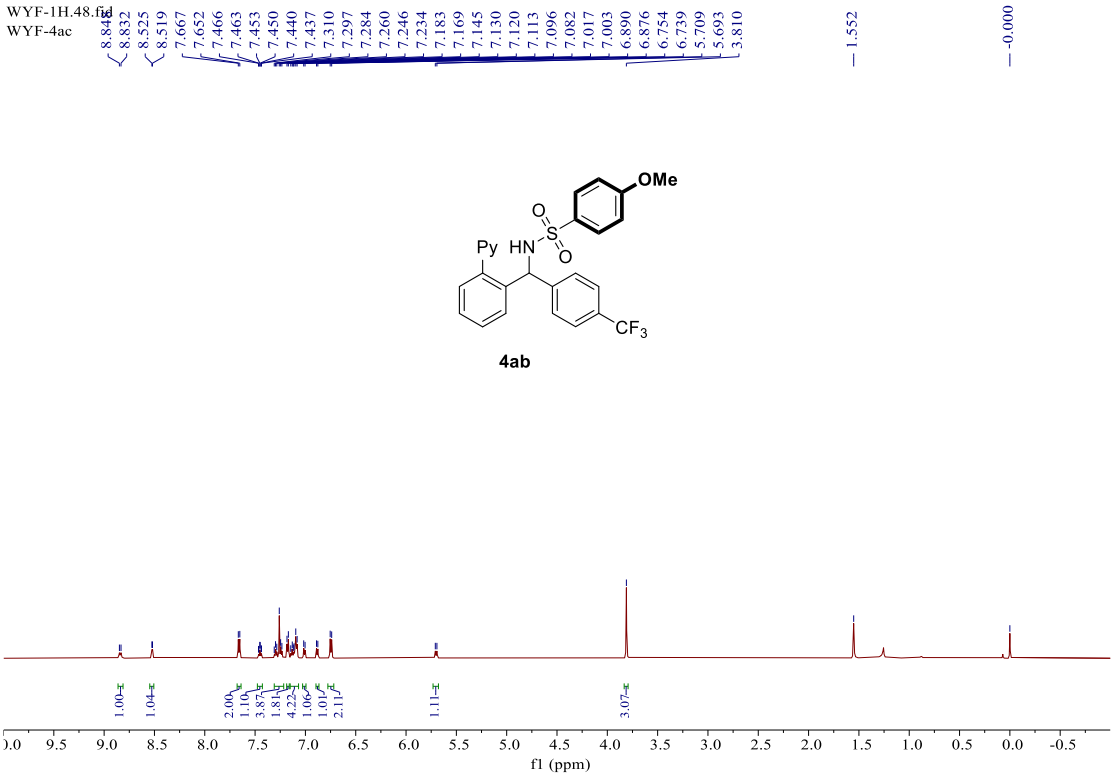
^{13}C NMR (151 MHz, $CDCl_3$) for **4aa**



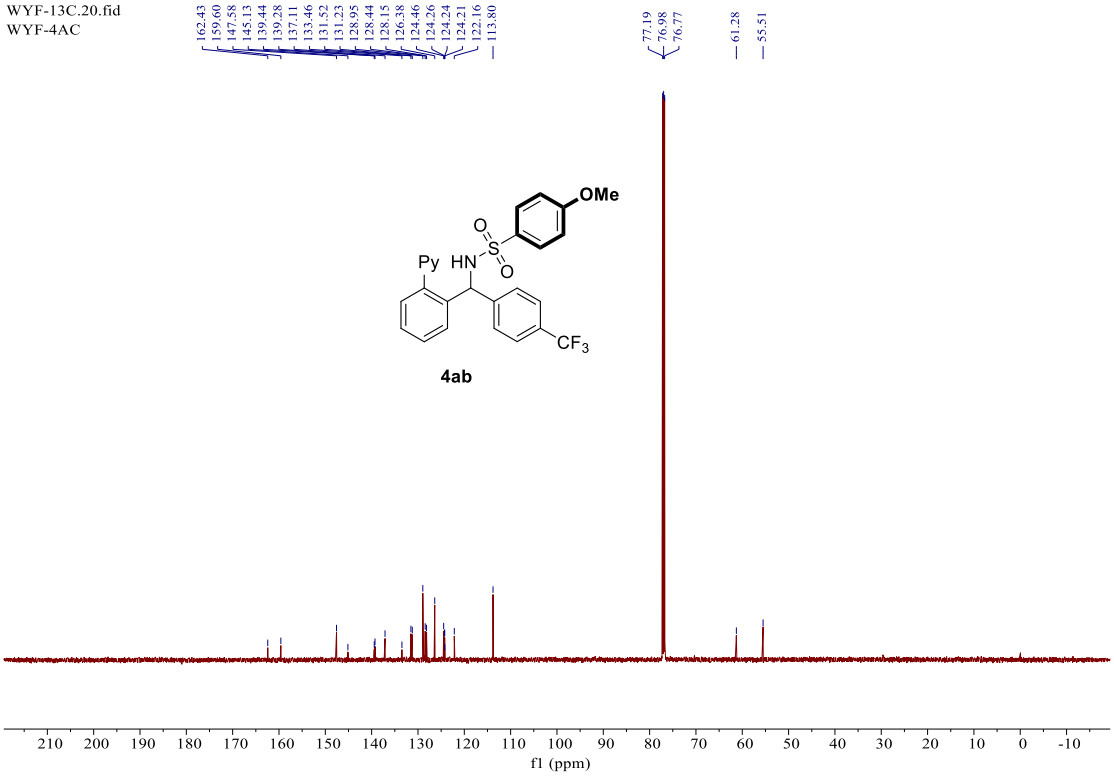
^{19}F NMR (565 MHz, $CDCl_3$) for **4aa**



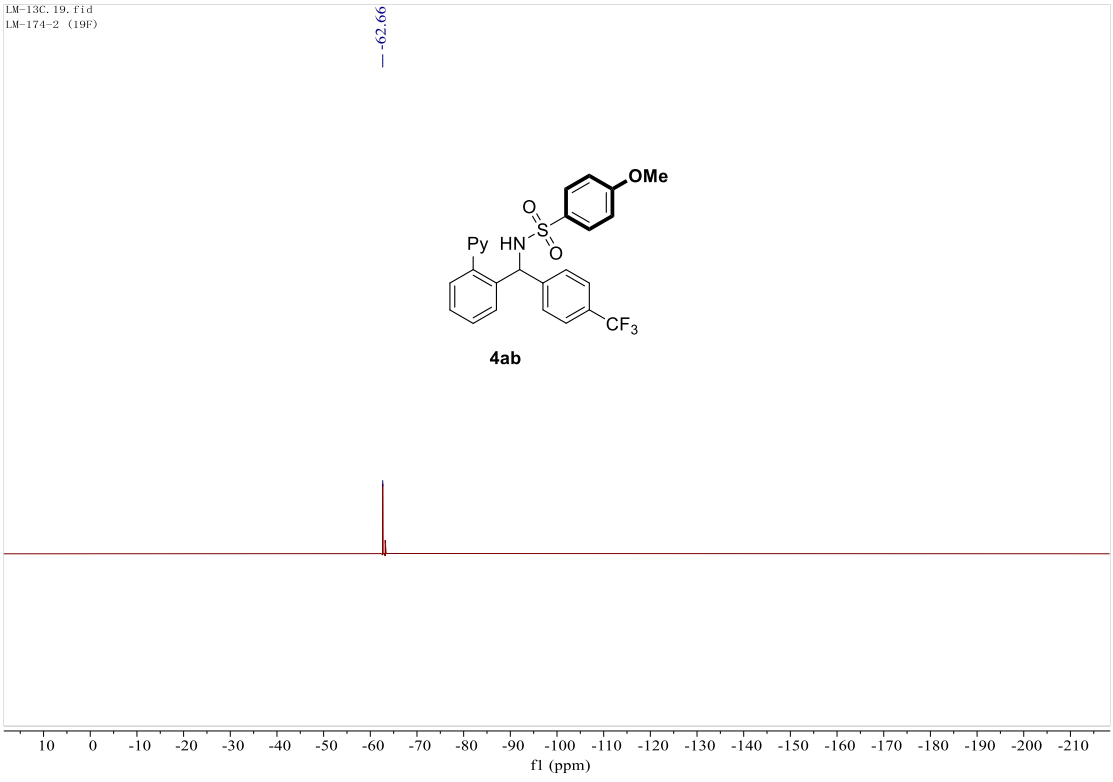
^{1}H NMR (600 MHz, $CDCl_3$) for **4ab**



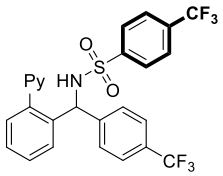
^{13}C NMR (151 MHz, $CDCl_3$) for **4ab**



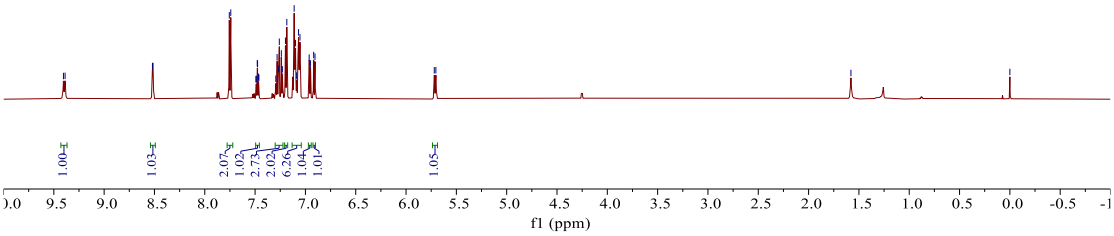
^{19}F NMR (565 MHz, $CDCl_3$) for **4ab**



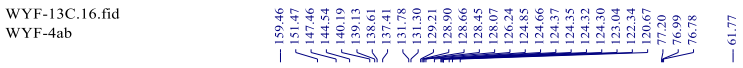
^{1}H NMR (600 MHz, $CDCl_3$) for **4ac**

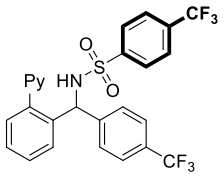


4ac

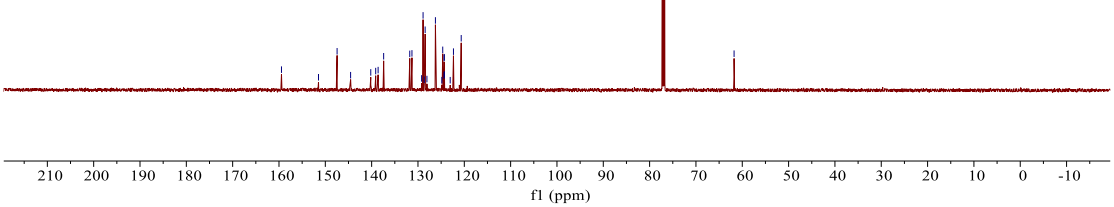


^{13}C NMR (151 MHz, $CDCl_3$) for **4ac**

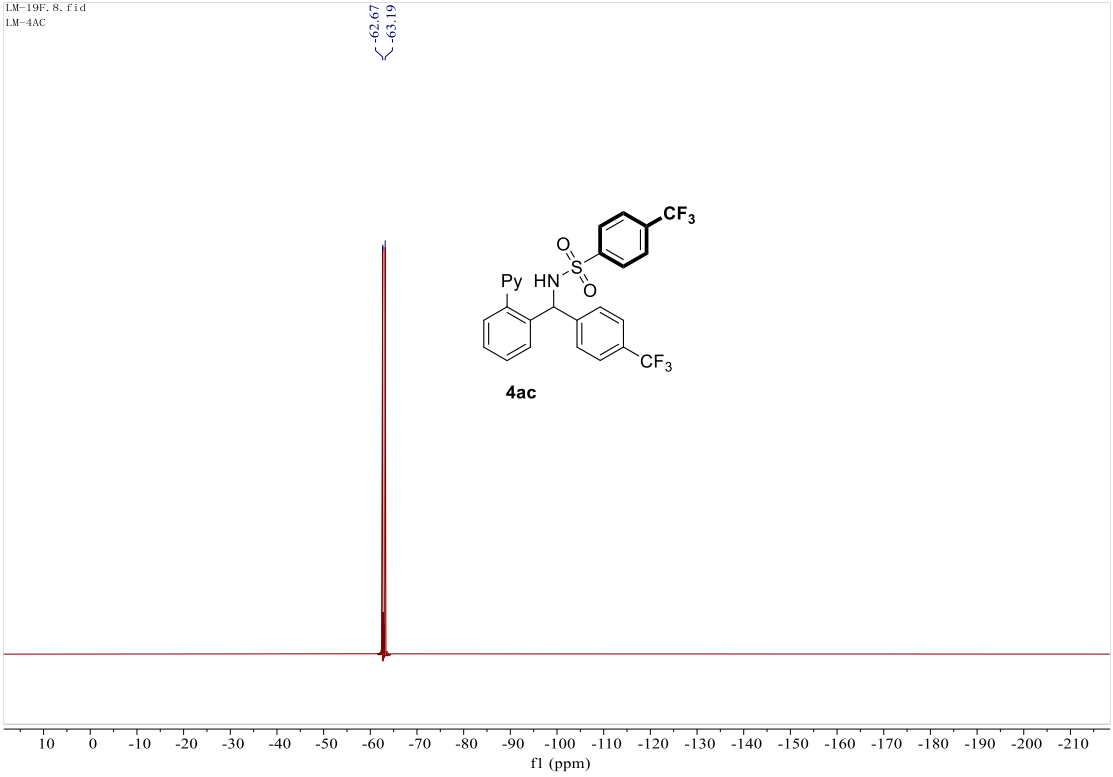




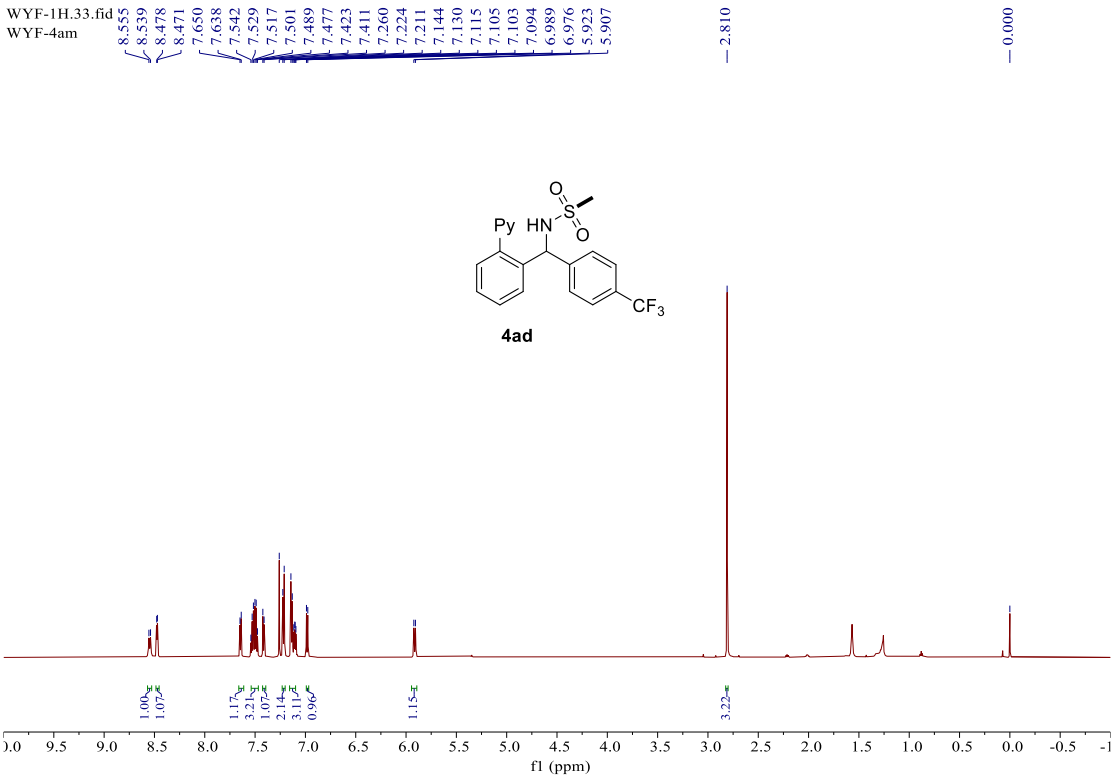
4ac



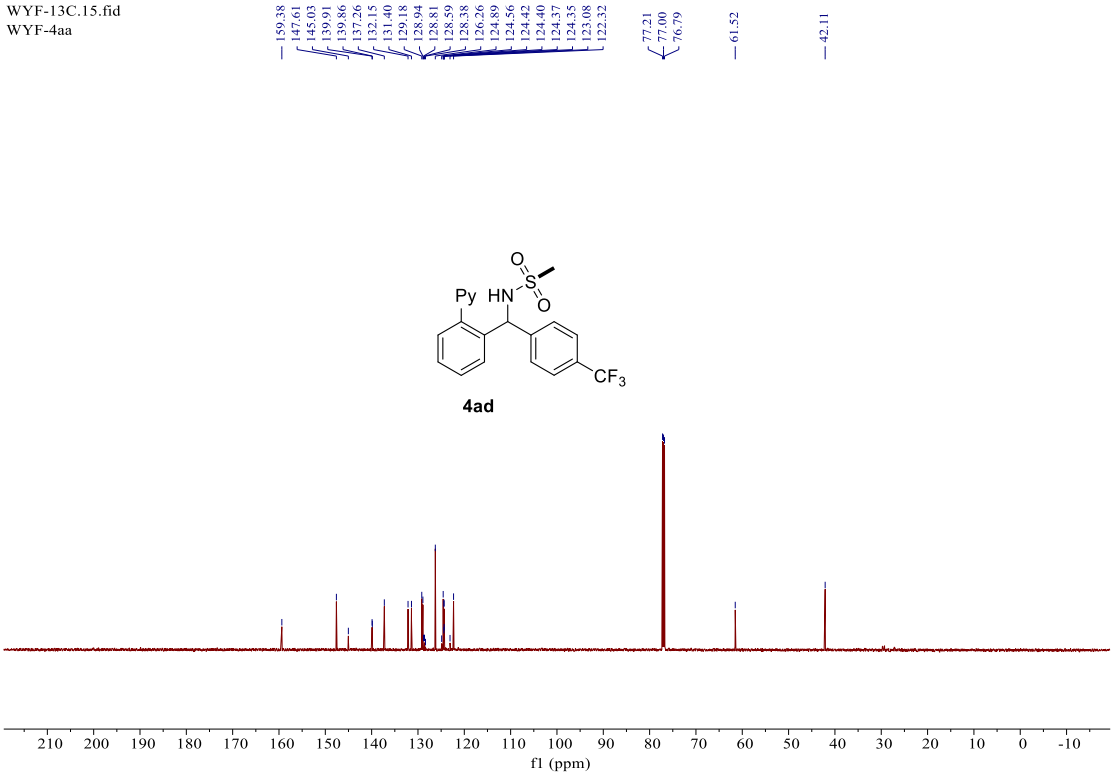
^{19}F NMR (565 MHz, $CDCl_3$) for **4ac**



^{1}H NMR (600 MHz, $CDCl_3$) for **4ad**



^{13}C NMR (151 MHz, $CDCl_3$) for **4ad**



^{19}F NMR (565 MHz, $CDCl_3$) for **4ad**