Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

For

Ruthenium-Catalyzed *meta*-Selective C_{Ar}–H Bond Alkylation via Deaminative Strategy

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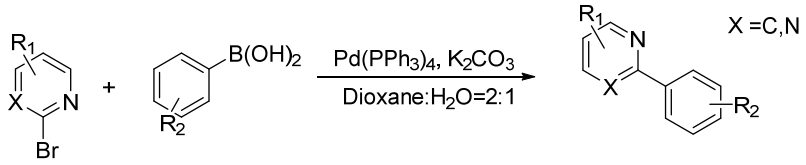
1. General remarks

^{1}H NMR spectra were recorded on 400 or 600 MHz (100 or 150 MHz for ^{13}C NMR, 376 or 564 MHz for ^{19}F NMR) agilent NMR spectrometer with $CDCl_3$ as the solvent and tetramethylsilane (TMS) as the internal standard. Chemical shifts were reported in parts per million (ppm, δ scale) downfield from TMS at 0.00 ppm and referenced to the $CDCl_3$ at 7.26 ppm (for ^{1}H NMR) or 77.16 ppm (for ^{13}C NMR), DMSO at 2.50 ppm (for ^{1}H NMR) or 39.51 ppm (for ^{13}C NMR). ^{19}F NMR chemical shifts were determined relative to $CFCl_3$ at δ 0.00 ppm. Mass spectroscopy data of the products were collected on a GCT PremierTM (CI) and Agilent Technologies 1290 Infinity (ESI). Mass Spectrometer Infrared (FT-IR) spectra were recorded on a Varian 1000FT-IR, νmax in cm^{-1}. Melting points were measured using SGW, X-4B and values are uncorrected. The Katritzky salts were prepared according to our previous report (*Chem. Commun.* **2019**, *55*, 11478-11481) and all commercially available reagents and solvents were used as received unless otherwise specified.

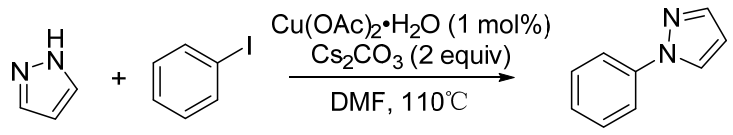
2. Synthesis of *N*-containing aromatics

Procedure A:



To a solution of 2-bromopyridines (2 mmol, 1 equiv) and corresponding boronic acid (3 mmol, 1.5 equiv) in 1,4-dioxane (2 mL) and H_2O (1 mL) was added $Pd(PPh_3)_4$ (0.1 mmol, 5 mol%) and K_2CO_3 (4.0 mmol, 2.0 equiv) under argon in a sealed tube. The resulting mixture was heated at 180 °C for 1 h under microwave conditions. To the reaction mixture was added saturated aqueous NH_4Cl, extracted by EtOAc for three times, dried over with anhydrous Na_2SO_4, and evaporated in vacuum to afford the crude product, which was further purified by flash chromatography on silica gel with *n*-hexane/EtOAc to give the corresponding substrates. (X.-F. Rao, C. Liu, J.-S. Qiu and Z.-L. Jin, *Org. Biomol. Chem.*, **2012**, *10*, 7875-7883)

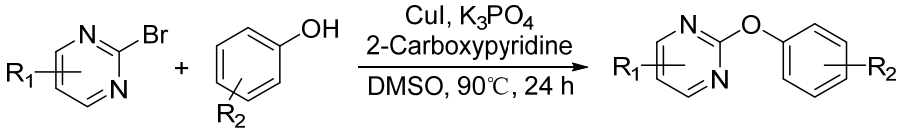
Procedure B:



To a 10 mL two-neck bottle equipped with a magnetic stir bar was added pyrrole (2 mmol, 1 equiv), iodobenzene (2.4 mmol, 1.2 equiv), copper acetate (0.02 mmol, 1 mol%), cesium carbonate (4 mmol, 2.0 equiv), and DMF (4 mL, 0.5 M) under argon. The resulting mixture was stirred for 24 h at 110 °C. After the reaction was completed (monitored by TLC), the reaction mixture was extracted with ethyl acetate for three times, dried over with anhydrous $NaSO_4$, and evaporated in vacuum to afford the crude product, which was further purified by flash chromatography on silica gel with

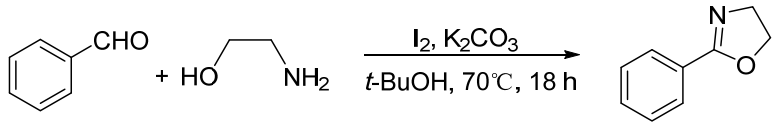
n-hexane/EtOAc to give the title compound. (Z.-L. Xu, H.-X. Li, Z.-G. Ren, W.-Y. Du, W.-C. Xu, and J.-P. Lang, *Tetrahedron*, **2011**, *67*, 5282-5288)

Procedure C:



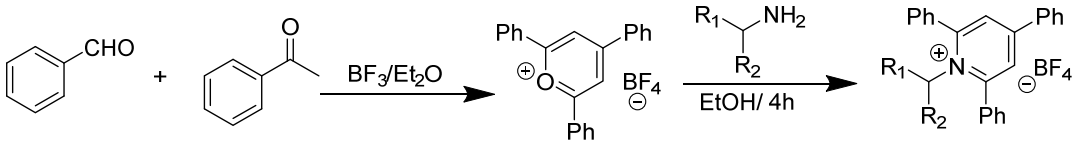
To a 25 mL round bottomed flask, was successively added ArOH (2 mmol, 1 equiv), 2-bromopyrimidine (2.4 mmol, 1.2 equiv), CuI (0.2 mmol, 10 mol%), picolinic acid (0.4 mmol, 20 mol%.), K3PO4 (4 mmol, 2 equiv), and DMSO (4 mL, 0.5 M) under argon. The resulting mixture was heated at 90 °C for 24 h. After cooling to room temperature, ethyl acetate and water were added. The organic layer was separated and the aqueous layer was extracted twice more with ethyl acetate. Combined organic layer was dried over Na2SO4 and filtered through the pad of silica gel. The filtrate was concentrated and the resulting residue was purified by flash column chromatography to obtain the desired products. (G. Li, P.-P. Gao, X.-L. Lv, C. Qu, Q.-K. Yan, Y. Wang, S.-L. Yang, and J.-J. Wang, *Org. Lett.*, **2017**, *19*, 2682−2685)

Procedure D:



To a solution of *p*-tolualdehyde (0.51 mL, 5 mmol) in *tert*-butyl alcohol (20 mL) was added aminoethanol (0.33 mL, 5.5 mmol). After being stirred at room temperature under an argon atmosphere for 30 min, the resulting mixture was added K_2CO_3 (2.1 g, 15.0 mmol) and I_2 (1.58 g, 6.25 mmol). The reaction mixture was stirred at 70 °C for 18 h. The resulting mixture was quenched with sat.aq Na_2SO_3 until the iodine color almost disappeared and then extracted with Et_2O. The organic layer was washed with brine and dried over Na_2SO_4. After filtration, the solvent was removed in vacuo. The residue was chromatographed on neutral silica gel (AcOEt) to give the title compound. (M. Ishihara and H. Togo, *Tetrahedron,* **2007**, *63*, 1474–1480)

Procedure E:



Synthesis of triphenylpyrylium tetrafluoroborate: Benzaldehyde (1 equiv) and acetophenone (2 equiv) were placed in a closed two-necked flask equipped with a magnetic stirrer, then boron trifluoride etherate (2.5 equiv) was added dropwise under argon treatment. The mixture was reacted at 100 ℃ for two hours and cooled to ambient temperature. Methyl *tert*-butyl ether was added to the reaction mixture and the resulting suspension stirred at ambient temperature. The solid was collected by

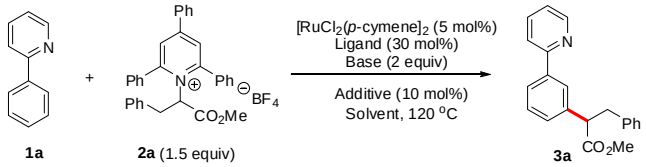
filtration and washed with methyl *tert*-butyl ether. Recrystallization by acetone and methyl *tert*-butyl ether to get pure light yellow solid.

A closed flask equipped with a magnetic stir bar was charged with triphenylpyrylium tetrafluoroborate (1.0 equiv) and the corresponding primary amine (1.2 equiv). Ethanol (1.0 M) was added to the reaction vessel and the tube sealed. No precautions to protect the reaction mixture from air and moisture were taken. The reaction mixture was heated to 90 °C for 4 h and then cooled to ambient temperature. Methyl *tert*-butyl ether was added to the reaction mixture and the resulting suspension stirred at room temperature. The solid was collected by filtration and washed with methyl *tert*-butyl ether. After the operations required the solids were dried under reduced pressure to obtain the analytically pure pyridinium salts.

Amine hydrochlorides as starting materials: In case amine hydrochlorides were used as feedstocks for the pyridinium salts, the amine hydrochloride (1.2 equiv) was added to a clean and closed flask. Ethanol (1.0 M) and triethyl amine (1.2 equiv) were added. The resulting suspension was stirred for 30 min at ambient temperature. Triphenylpyrylium tetrafluoroborate (1.0 equiv) was added, the tube sealed and stirred for 4 h at 90 °C. Methyl *tert*-butyl ether was added to the reaction mixture and the resulting suspension stirred at room temperature for at least 1 h to complete the precipitation process. The solid was collected by filtration and washed with methyl *tert*-butyl ether. After the operations required the solids were dried under reduced pressure to obtain the analytically pure pyridinium salts. To remove water-soluble impurities, the collected solids were washed with water before washing with methyl *tert*-butyl ether. (*Org. Biomol. Chem.*, **2019**,*17*, 1531-1534.)

3. Optimization of reaction conditions

Table S1 Optimization of reaction conditions. [a]

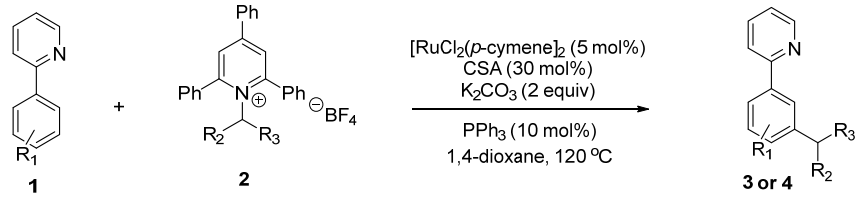


entry	solvent	base	ligand/additive	yield (%)[b]
1	1,4-dioxane	K_2CO_3	$MesCO_2H/PPh_3$	73
2	$PhCH_3$	K_2CO_3	$MesCO_2H/PPh_3$	0
3	DMA	K_2CO_3	$MesCO_2H/PPh_3$	< 5
4[c]	THF	K_2CO_3	$MesCO_2H/PPh_3$	40
5[c]	CH_3CN	K_2CO_3	$MesCO_2H/PPh_3$	< 5

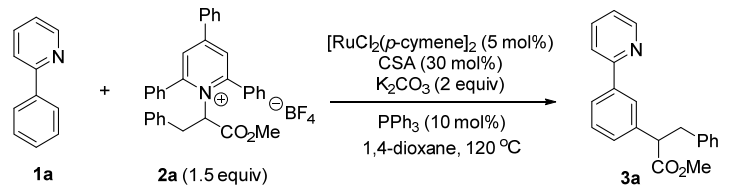
6[c]	DCE	K_2CO_3	$MesCO_2H/PPh_3$	37
7	1,4-dioxane	Na_2CO_3	$MesCO_2H/PPh_3$	40
8	1,4-dioxane	Cs_2CO_3	$MesCO_2H/PPh_3$	36
9	1,4-dioxane	K_3PO_4	$MesCO_2H/PPh_3$	66
10	1,4-dioxane	K_2CO_3	$PivOH/PPh_3$	69
11	1,4-dioxane	K_2CO_3	$Piv\text{-}Val\text{-}OH/PPh_3$	44
12	1,4-dioxane	K_2CO_3	$1\text{-}AdCO_2H/PPh_3$	51
13	**1,4-dioxane**	**K_2CO_3**	**CSA/PPh_3**	**91**
14	1,4-dioxane	K_2CO_3	$(PhO)_2PO_2H/PPh_3$	87
15	1,4-dioxane	K_2CO_3	$CSA/P(o\text{-}Tol)_3$	60
16	1,4-dioxane	K_2CO_3	CSA/PCy_3	52
17[d]	1,4-dioxane	K_2CO_3	CSA/PPh_3	< 5
18[e]	1,4-dioxane	K_2CO_3	CSA/PPh_3	52
19[f]	1,4-dioxane	K_2CO_3	CSA/PPh_3	58
20[g]	1,4-dioxane	K_2CO_3	CSA/PPh_3	0
21	1,4-dioxane	K_2CO_3	$–/PPh_3$	34
22	1,4-dioxane	K_2CO_3	CSA/–	47

[a]Reaction conditions: a mixture of **1a** (0.2 mmol), **2a** (0.3 mmol), $[RuCl_2(p\text{-cymene})]_2$ (5 mol%, 10 mol% of Ru), ligand (30 mol%), base (0.4 mmol) and additive (10 mol%) in solvent (0.8 mL, used without dehydration) was stirred at 120 °C (oil bath, sealed tube) for 48 h. [b]Isolated yields. [c]Stirred at 80 °C. [d]$Ru_3(CO)_{12}$ (3.3 mol%) instead of $[RuCl_2(p\text{-cymene})]_2$. [e]$RuCl_3 \bullet 3H_2O$ (10 mol%) instead of $[RuCl_2(p\text{-cymene})]_2$. [f]$RuCl_2(PPh_3)_3$ (10 mol%) instead of $[RuCl_2(p\text{-cymene})]_2$. [g]No Ru catalyst.

4. General experimental procedure



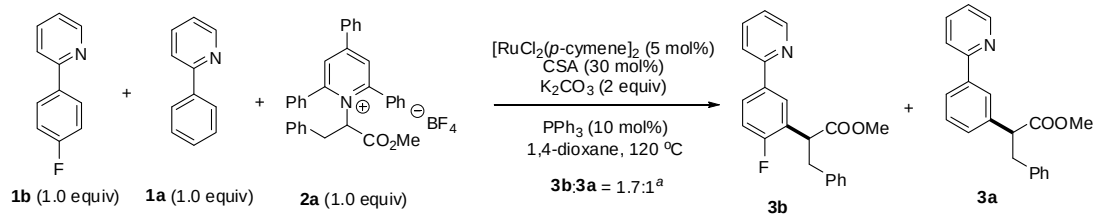
To a 10 mL Schlenk tube equipped with a magnetic stir bar was added $[RuCl_2(p\text{-cymene})]_2$ (6 mg, 0.01 mmol, 5 mol%), CSA (14 mg, 0.06 mmol, 30 mol%), K_2CO_3 (28 mg, 0.4 mmol, 2.0 equiv), PPh_3 (5 mg, 0.02 mmol, 10 mol%) and the Katritzky salt (0.3 mmol, 1.5 equiv). The resulting mixture was sealed and degassed via vacuum evacuation and subsequent backfilled with nitrogen for three times. Next, **1** (0.2 mmol, 1.0 equiv) and 1,4-dioxane (0.8 mL, used without dehydration) were added. Then the resulting mixture was stirred at 120 °C (oil bath, sealed tube) for 48-72 h. The solvent was removed on a rotary evaporator under reduced pressure and the residue was purified by flash chromatography on silica gel with *n*-hexane/EtOAc to give the corresponding product.

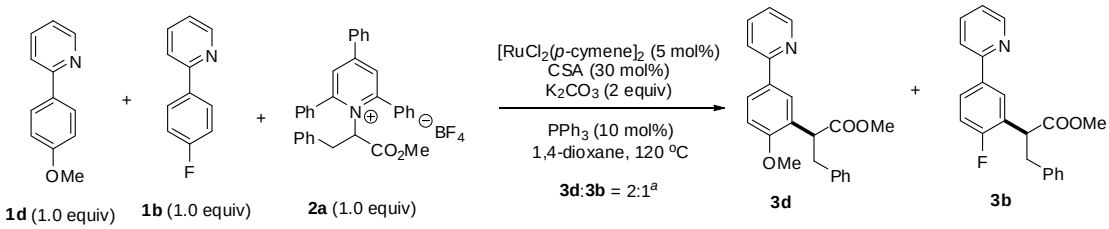


Gram-scale reaction: To a 50 mL Schlenk tube equipped with a magnetic stir bar was added $[RuCl_2(p\text{-cymene})]_2$ (37 mg, 0.06 mmol, 5 mol%), CSA (83 mg, 0.36 mmol, 30 mol%), K_2CO_3 (166 mg, 1.2 mmol, 1.0 equiv), PPh_3 (32 mg, 0.12 mmol, 10 mol%) and **2a** (1.0 g, 1.8 mmol, 1.5 equiv). The resulting mixture was sealed and degassed via vacuum evacuation and subsequent backfill with nitrogen for three times. Next, **1a** (186 mg, 1.2 mmol, 1.0 equiv) and 1,4-dioxane (4.8 mL) were added. Then the resulting mixture was stirred at 120 °C (oil bath, sealed tube) for 72 h. The solvent was removed on a rotary evaporator under reduced pressure and the residue was purified by flash chromatography on silica gel with *n*-hexane/EtOAc to give 297 mg (78% yield) of **3a** as a yellow oil.

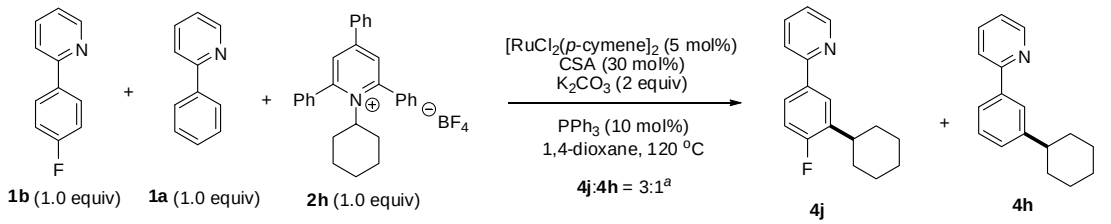
5. Mechanistic studies

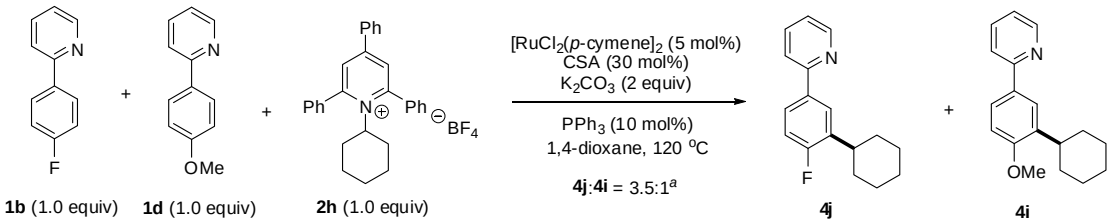
5-1. Competitive experiments





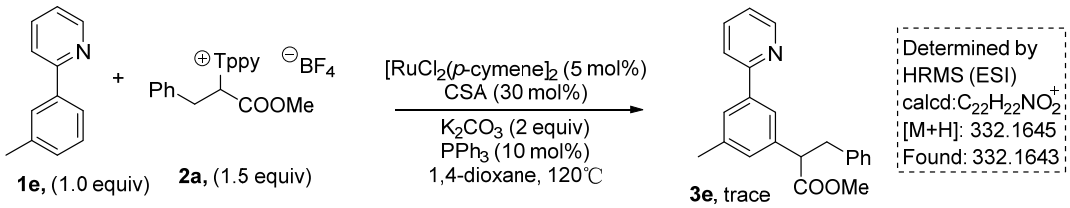
To a 10 mL Schlenk tube equipped with a magnetic stir bar was added $[RuCl_2(p\text{-cymene})]_2$ (6 mg, 0.01 mmol, 5 mol%), CSA (14 mg, 0.06 mmol, 30 mol%), K_2CO_3 (28 mg, 0.4 mmol, 2.0 equiv), PPh_3 (5 mg, 0.02 mmol, 10 mol%), **2a** (111 mg, 0.2 mmol, 1.0 equiv), and **1** (**1b** and **1a** or **1d** and **1b**) (0.2 mmol, 1.0 equiv). The resulting mixture was sealed and degassed via vacuum evacuation and subsequent backfilled with nitrogen for three times. Next, 1,4-dioxane (0.8 mL, used without dehydration) was added. The resulting mixture was stirred at 120 °C (oil bath, sealed tube) for 72 h. The solvent was removed on a rotary evaporator under reduced pressure. The ratios were determined by the crude ^{1}H-NMR spectra.



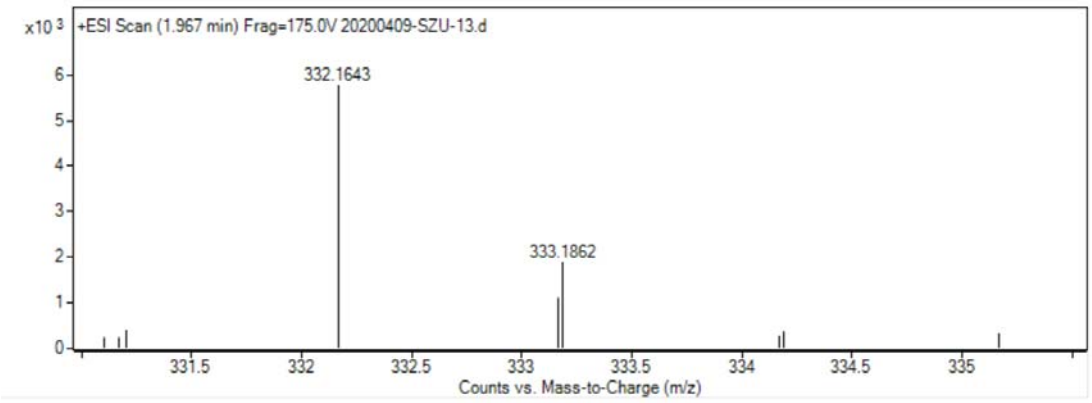


To a 10 mL Schlenk tube equipped with a magnetic stir bar was added $[RuCl_2(p\text{-cymene})]_2$ (6 mg, 0.01 mmol, 5 mol%), CSA (14 mg, 0.06 mmol, 30 mol%), K_2CO_3 (28 mg, 0.4 mmol, 2.0 equiv), PPh_3 (5 mg, 0.02 mmol, 10 mol%), **2h** (95 mg, 0.2 mmol, 1.0 equiv), and **1** (**1b** and **1a** or **1d** and **1b**) (0.2 mmol, 1.0 equiv). The resulting mixture was sealed and degassed via vacuum evacuation and subsequent backfilled with nitrogen for three times. Next, 1,4-dioxane (0.8 mL, used without dehydration) was added. The resulting mixture was stirred at 120 °C (oil bath, sealed tube) for 72 h. The solvent was removed on a rotary evaporator under reduced pressure. The ratios were determined by the crude ^{1}H-NMR spectra.

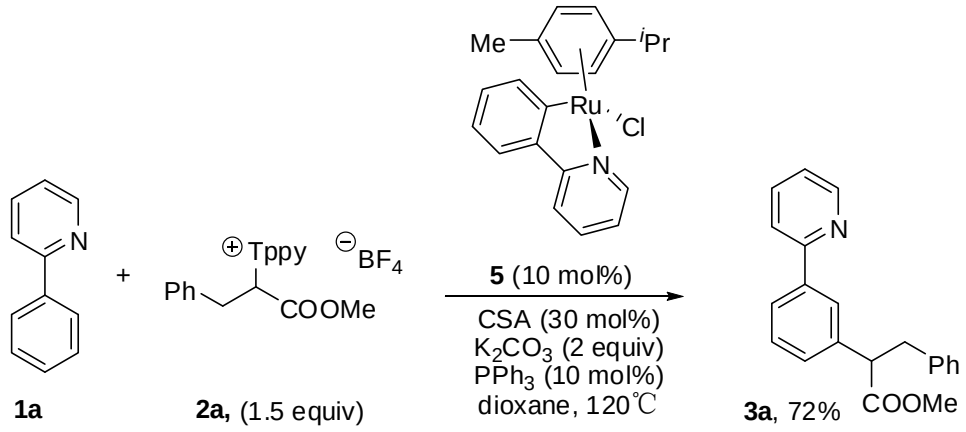
5-2. Reaction with steric substrate



Perform the reaction according to the general experimental procedure with **1e** and **2a**, and the product **3e** was detected by TLC and determined by HRMS (ESI).



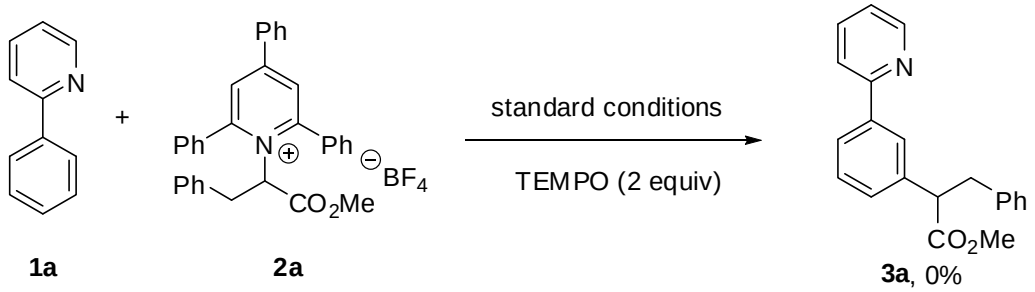
5-3. Reaction with well-defined Ru (II)-complex 5



1a **2a,** (1.5 equiv) **3a**, 72%

To a 10 mL Schlenk tube equipped with a magnetic stir bar was added ruthenium (II)-complex **5** (9 mg, 0.02 mmol, 10 mol%), CSA (14 mg, 0.06 mmol, 30 mol%), K_2CO_3 (28 mg, 0.4 mmol, 2.0 equiv), PPh_3 (5 mg, 0.02 mmol, 10 mol%) and the Katritzky salt (167 mg, 0.3 mmol, 1.5 equiv). The resulting mixture was sealed and degassed via vacuum evacuation and subsequent backfilled with nitrogen for three times. Next, **1a** (31 mg, 0.2 mmol, 1.0 equiv) and 1,4-dioxane (0.8 mL, used without dehydration) were added. The resulting mixture was stirred at 120 °C (oil bath, sealed tube) for 60 h. The solvent was removed on a rotary evaporator under reduced pressure and the residue was purified by flash chromatography on silica gel with *n*-hexane/EtOAc to give 46 mg (72% yield) of **3a** as a yellow oil.

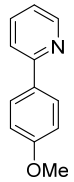
5-4. Control experiment



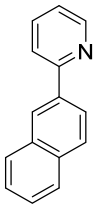
1a **2a** **3a**, 0%

To a 10 mL Schlenk tube equipped with a magnetic stir bar was added $[RuCl_2(p\text{-cymene})]_2$ (6 mg, 0.01 mmol, 5 mol%), CSA (14 mg, 0.06 mmol, 30 mol%), K_2CO_3 (28 mg, 0.4 mmol, 2.0 equiv), PPh_3 (5 mg, 0.02 mmol, 10 mol%) and the Katritzky salt (167 mg, 0.3 mmol, 1.5 equiv). The resulting mixture was sealed and degassed via vacuum evacuation and subsequent backfilled with nitrogen for three times. Next, **1a** (31 mg, 0.2 mmol, 1.0 equiv) and TEMPO (62 mg, 0.4 mmol) in 1,4-dioxane (0.8 mL, used without dehydration) were added. The resulting mixture was stirred at 120 °C (oil bath, sealed tube) for 60 h. We did not find the desired product **3a** in the reaction mixture.

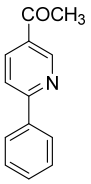
6. Characterization of the substrates and products



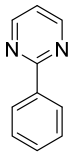
2-(4-Methoxyphenyl)pyridine (1d): Prepared via general procedure A; white solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (d, *J* = 4.0 Hz, 1H), 7.95 (d, *J* = 8.6 Hz, 2H), 7.76 – 7.63 (m, 2H), 7.20 – 7.13 (m, 1H), 7.00 (d, *J* = 8.6 Hz, 2H), 3.87 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 160.5, 157.2, 149.6, 136.7, 132.1, 128.2, 121.5, 119.9, 114.2, 55.4.



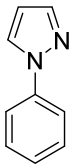
2-(Naphthalen-2-yl)pyridine (1g): Prepared via general procedure A; white solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.76 (d, *J* = 2.6 Hz, 1H), 8.50 (s, 1H), 8.15 (d, *J* = 8.4 Hz, 1H), 7.96 (d, *J* = 7.5 Hz, 2H), 7.92 – 7.84 (m, 2H), 7.83 – 7.73 (m, 1H), 7.57 – 7.48 (m, 2H), 7.30 – 7.23 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 157.4, 149.9, 136.9, 136.8, 133.7, 133.6, 128.8, 128.6, 127.8, 126.6, 126.4, 126.4, 124.7, 122.2, 120.9.



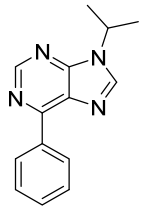
1-(6-Phenylpyridin-3-yl)ethan-1-one (1i): Prepared via general procedure A; Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.23 (d, *J* = 1.7 Hz, 1H), 8.29 (dd, *J* = 8.3, 2.3 Hz, 1H), 8.08 – 8.02 (m, 2H), 7.84 (d, *J* = 8.3 Hz, 1H), 7.53 – 7.43 (m, 3H), 2.66 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 196.6, 161.1, 150.2, 138.3, 136.5, 130.7, 130.2, 129.1, 127.5, 120.3, 26.9.



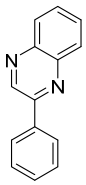
2-Phenylpyrimidine (1k): Prepared via general procedure A; Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.79 (d, *J* = 4.8 Hz, 2H), 8.47 – 8.42 (m, 2H), 7.52 – 7.46 (m, 3H), 7.16 (t, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 164.9, 157.3, 137.7, 130.9, 128.7, 128.2, 119.2.



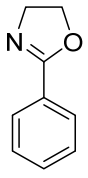
1-Phenyl-1*H*-pyrazole (1l): Prepared via general procedure B; Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (s, 1H), 7.77 – 7.64 (m, 3H), 7.45 (t, *J* = 7.3 Hz, 2H), 7.28 (t, *J* = 7.9 Hz, 1H), 6.46 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 141.2, 140.3, 129.5, 126.8, 126.5, 119.3, 107.7.



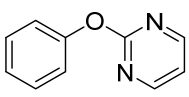
9-Isopropyl-6-phenyl-9*H*-purine (1m): Prepared via general procedure A; Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.01 (s, 1H), 8.79 – 8.75 (m, 2H), 8.17 (s, 1H), 7.58 – 7.48 (m, 3H), 5.03 – 4.91 (m, 1H), 1.66 (d, *J* = 6.8 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.9, 152.2, 142.1, 135.9, 131.6, 131.0, 129.9, 128.8, 47.4, 22.7.



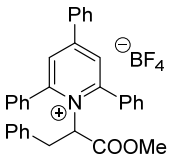
2-Phenylquinoxaline (1n): Prepared via general procedure A; Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.32 (s, 1H), 8.19 (d, *J* = 7.3 Hz, 2H), 8.15 (d, *J* = 7.9 Hz, 1H), 8.12 (d, *J* = 8.4 Hz, 1H), 7.79 – 7.70 (m, 2H), 7.56 (t, *J* = 7.4 Hz, 2H), 7.51 (t, *J* = 7.3 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 151.9, 143.4, 142.4, 141.7, 136.9, 130.4, 130.3, 129.7, 129.6, 129.2, 127.6.



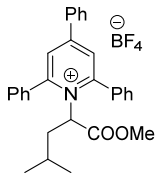
2-Phenyl-4,5-dihydrooxazole (1o): Prepared via general procedure D; Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.95 – 7.90 (m, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.6 Hz, 2H), 4.38 (t, *J* = 9.5 Hz, 2H), 4.02 (t, *J* = 9.5 Hz, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 164.7, 131.3, 128.3, 128.2, 127.8, 67.6, 55.0.



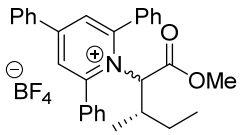
2-Phenoxypyrimidine (1p): Prepared via general procedure C; white solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, *J* = 4.3 Hz, 2H), 7.45 (t, *J* = 7.4 Hz, 2H), 7.29 (d, *J* = 7.1 Hz, 1H), 7.22 (d, *J* = 7.8 Hz, 2H), 7.04 (t, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 165.5, 159.8, 153.0, 129.7, 125.6, 121.8, 116.3.



(1-Methoxy-1-oxo-3-phenylpropan-2-yl)-2,4,6-triphenylpyridin-1-ium tetrafluo-roborate (2a): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.93 (s, 2H), 7.86 – 7.69 (m, 4H), 7.60 (t, *J* = 7.2 Hz, 2H), 7.57 – 7.40 (m, 9H), 7.14 – 7.05 (m, 3H), 6.77 (d, *J* = 7.3 Hz, 2H), 5.64 (dd, *J* = 7.5, 3.7 Hz, 1H), 3.69 (s, 3H), 3.49 – 3.42 (m, 1H), 2.93 (dd, *J* = 14.4, 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.0, 157.1, 157.0, 136.4, 133.8, 132.5, 132.4, 131.7, 129.8, 129.6, 129.2, 129.1, 128.72, 128.66, 128.0, 127.3, 70.3, 53.9, 37.8; ^{19}F NMR (564 MHz, $CDCl_3$) δ -152.80 (d, *J* = 4.5 Hz), -152.86 (d, *J* = 3.5 Hz).

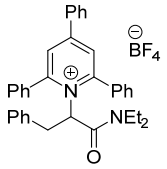


(1-Methoxy-4-methyl-1-oxopentan-2-yl)-2,4,6-triphenylpyridin-1-iumtetrafluoro borate (2b): Prepared via general procedure E; white solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (s, 2H), 7.80 (d, *J* = 7.3 Hz, 2H), 7.70 (br, 2H), 7.62 – 7.52 (m, 7H), 7.51 – 7.45 (m, 2H), 5.46 (dd, *J* = 7.8, 2.8 Hz, 1H), 3.74 (s, 3H), 1.76 – 1.64 (m, 1H), 1.62 – 1.50 (m, 1H), 1.35 – 1.24 (m, 1H), 0.56 (d, *J* = 6.5 Hz, 3H), 0.41 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.7, 156.8, 156.7, 133.7, 132.5, 132.3, 131.6, 129.6, 129.4, 129.1, 128.5, 127.9, 67.4, 53.9, 40.4, 26.1, 22.3, 20.7. ^{19}F NMR (564 MHz, $CDCl_3$) δ -153.01 (s), -153.07 (s).

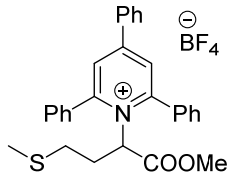


(1-Methoxy-3-methyl-1-oxopentan-2-yl)-2,4,6-triphenylpyridin-1-iumtetrafluoro borate (2c): Prepared via general procedure E; white solid; Two isomers, *d.r.*: 1.1:1**;** ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.00 (s, 2H), 7.93 – 7.87 (m, 2H), 7.68 (s, 1H), 7.65 – 7.58 (m, 7H), 7.56 – 7.46 (m, 5H), 5.32 (d, *J* = 10.2 Hz,0.5H)/5.18 (d, *J* = 10.2 Hz, 0.6H), 3.77 (s, 1.6H)/3.74 (s, 1.3H), 2.02 – 1.93 (m, 0.6H)/1.75 – 1.66 (m, 0.9H), 1.41 – 1.34 (m, 0.6H)/1.01 – 0.91 (m, 0.9H), 1.30 – 1.18 (m, 1.6H)/0.50 – 0.43 (m, 1.3H), 0.84 (t, 2.3H)/0.70 (t, *J* = 7.6 Hz, 3H), 0.82 – 0.75 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.0, 166.8, 157.10, 157.06, 133.1, 133.0, 131.9, 129.9, 129.8, 129.7,

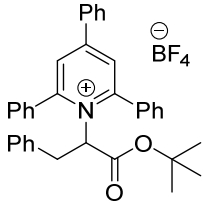
129.4, 129.2 128.9, 128.8, 128.6, 127.8, 73.5, 71.3, 53.93, 53.87, 36.0, 35.6, 27.8, 25.4, 18.5, 15.2, 11.1, 9.5; ^{19}F NMR (564 MHz, $CDCl_3$) δ -153.28 (s), -153.34 (s); HRMS (ESI) calcd $C_{30}H_{30}NO_2$ $[M\text{-}BF_4]^+$: 436.2271, found: 436.2270.



1-(1-(Diethylamino)-1-oxo-3-phenylpropan-2-yl)-2,4,6-triphenylpyridin-1-iumtetrafluoroborate (2d): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.04 (br, 2H), 7.85 (s, 2H), 7.76 (d, *J* = 7.7 Hz, 2H), 7.74 – 7.49 (m, 9H), 7.46 (t, *J* = 7.6 Hz, 2H), 7.16 – 7.07 (m, 3H), 6.87 (d, *J* = 7.5 Hz, 2H), 5.96 (dd, *J* = 9.5, 3.4 Hz, 1H), 3.53 (dd, *J* = 14.3, 3.2 Hz, 1H), 3.23 – 3.04 (m, 2H), 2.96 – 2.85 (m, 1H), 2.26 – 2.10 (m, 2H), 0.98 (t, *J* = 6.2 Hz, 3H), 0.37 (t, *J* = 6.3 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 165.2, 157.7, 155.8, 145.8, 135.8, 133.9, 133.6, 132.4, 131.2, 129.9, 129.7, 129.0, 128.93, 128.87, 128.5, 128.4, 127.4, 70.9, 41.3, 40.6, 39.1, 12.8, 12.2; ^{19}F NMR (564 MHz, $CDCl_3$) δ -152.75 (s), -152.80 (s); HRMS (ESI) calcd $C_{36}H_{35}N_2O$ $[M\text{-}BF_4]^+$: 511.2744, found: 511.2743.

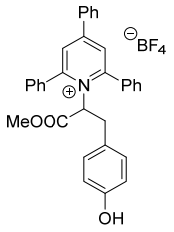


(1-Methoxy-4-(methylthio)-1-oxobutan-2-yl)-2,4,6-triphenylpyridin-1-iumtetrafluoroborate (2e): Prepared via general procedure E; white solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (s, 2H), 7.74 (dd, *J* = 31.7, 8.1 Hz, 4H), 7.63 – 7.50 (m, 7H), 7.46 (t, *J* = 7.3 Hz, 2H), 5.92 (dd, *J* = 7.7 Hz, 1H), 3.73 (s, 3H), 2.37 – 2.16 (m, 3H), 1.95 – 1.85 (m, 1H), 1.84 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.4, 156.9, 133.8, 132.5, 132.2, 131.5, 129.6, 129.1, 128.5, 66.7, 53.9, 31.4, 30.8, 14.7; ^{19}F NMR (564 MHz, $CDCl_3$) δ -152.74 (s), -152.79 (s).

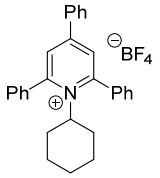


(1-(*tert*-Butoxy)-1-oxo-3-phenylpropan-2-yl)-2,4,6-triphenylpyridin-1-iumtetrafluoroborate (2f): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.95 (d, *J* = 2.9 Hz, 2H), 7.88 – 7.78 (m, 3H), 7.79 – 7.44 (m, 12H), 7.07 (dd, *J* = 9.3, 5.7 Hz, 3H), 6.83 – 6.76 (m, 2H), 5.55 (dd, *J* = 8.3, 2.8 Hz, 1H), 3.45 – 3.39 (m, 1H), 2.48 – 2.41 (m, 1H), 1.35 (s, 9H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.84, 167.80, 156.8, 146.0, 137.3, 137.2, 134.0, 133.0, 132.4, 131.5, 129.8, 128.9,

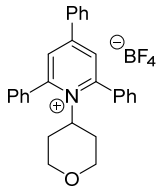
128.6, 128.5, 127.0, 85.6, 72.0, 37.8, 27.8; ^{19}F NMR (564 MHz, $CDCl_3$) δ -152.65 (s), -152.70 (s); HRMS (ESI) calcd $C_{36}H_{34}NO_2$ $[M\text{-}BF_4]^+$: 512.2584, found: 512.2586.



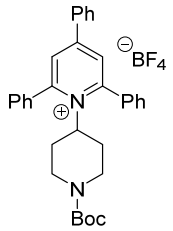
1-(3-(4-Hydroxyphenyl)-1-methoxy-1-oxopropan-2-yl)-2,4,6-triphenylpyridin-1-ium tetrafluoroborate (2g): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.92 (s, 2H), 7.86 – 7.83 (m, 2H), 7.79 – 7.46 (m, 13H), 6.62 (d, *J* = 8.5 Hz, 2H), 6.44 (d, *J* = 8.4 Hz, 2H), 5.60 (t, *J* = 6.7 Hz, 1H), 3.70 (s, 3H), 3.15 (dd, *J* = 14.8, 7.1 Hz, 1H), 2.89 (dd, *J* = 14.8, 6.4 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 157.1, 156.3, 132.9, 132.2, 131.9, 130.0, 129.8, 129.4, 128.7,116.3, 70.9, 53.9, 36.7; ^{19}F NMR (564 MHz, $CDCl_3$) δ -151.85 (s), -151.90 (s); HRMS (ESI) calcd $C_{33}H_{28}NO_3$ $[M\text{-}BF_4]^+$: 486.2064, found: 486.2062.



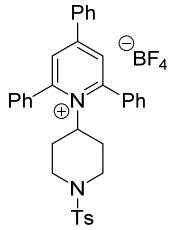
1-Cyclohexyl-2,4,6-triphenylpyridin-1-ium tetrafluoroborate (2h): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.76 (s, 2H), 7.71 (t, *J* = 6.8 Hz, 6H), 7.63 – 7.52 (m, 6H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.43 (t, *J* = 7.6 Hz, 2H), 4.64 – 4.55 (m, 1H), 2.15 – 2.05 (m, 2H), 1.61 – 1.52 (m, 2H), 1.52 – 1.40 (m, 2H), 1.37 – 1.30 (m, 1H), 0.78 – 0.67 (m, 2H), 0.65 – 0.54 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 157.2, 155.1, 134.12, 134.09, 131.9, 130.9, 129.6, 129.4, 128.9, 128.4, 128.2, 72.0, 33.7, 26.6, 24.7; ^{19}F NMR (564 MHz, $CDCl_3$) δ -153.30 (s), -153.36 (s).



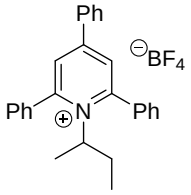
2,4,6-Triphenyl-1-(tetrahydro-*2H*-pyran-4-yl)pyridin-1-ium tetrafluoroborate (2i): Prepared via general procedure E; yellowish solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 – 7.70 (m, 6H), 7.69 – 7.64 (m, 2H), 7.63 – 7.53 (m, 6H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 4.94 – 4.80 (m, 1H), 3.76 – 3.65 (m, 2H), 2.78 (t, *J* = 11.2 Hz, 2H), 2.05 (d, *J* = 11.9 Hz, 2H), 1.92 – 1.78 (m, 2H); ^{13}C NMR (600 MHz, $CDCl_3$) δ 157.3, 155.3, 134.1, 133.9, 132.1, 131.2, 129.7, 129.4, 129.1, 128.4, 128.3, 69.2, 67.9, 33.9; ^{19}F NMR (376 MHz, $CDCl_3$) δ -152.86 (s), -152.92 (s);



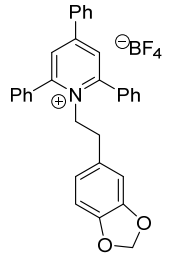
1-(1-(*tert*-Butoxycarbonyl)piperidin-4-yl)-2,4,6-triphenylpyridin-1-ium tetrafluoroborate (2j): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80 – 7.65 (m, 8H), 7.63 – 7.53 (m, 6H), 7.53 – 7.48 (m, 1H), 7.47 – 7.39 (m, 2H), 4.76 (t, *J* = 12.0 Hz, 1H), 4.02 – 3.79 (m, 2H), 2.27 – 2.00 (m, 4H), 1.72 – 1.55 (m, 2H), 1.30 (s, 9H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 157.2, 155.5, 154.3, 134.1, 133.9, 132.1, 131.2, 129.7, 129.4, 129.1, 128.4, 80.2, 70.0, 44.7, 43.8, 32.8, 28.4; ^{19}F NMR (564 MHz, $CDCl_3$) δ -152.96 (s), -153.01 (s).



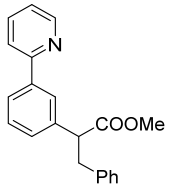
2,4,6-Triphenyl-1-(1-tosylpiperidin-4-yl)pyridin-1-ium tetrafluoroborate (2k) : Prepared via general procedure E; white solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (s, 2H), 7.71 – 7.64 (m, 6H), 7.61 – 7.48 (m, 7H), 7.45 – 7.36 (m, 4H), 7.22 (d, *J* = 8.0 Hz, 2H), 4.58 – 4.47 (m, 1H), 3.63 – 3.54 (m, 2H), 2.40 (s, 3H), 2.25 – 2.16 (m, 2H), 1.85 – 1.70 (m, 4H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 157.3, 155.5, 143.9, 133.9, 133.7, 133.2, 132.2, 131.2, 129.8, 129.7, 129.3, 129.2, 128.4, 128.3, 127.5, 69.1, 46.4, 32.3, 21.7; ^{19}F NMR (564 MHz, $CDCl_3$) δ -152.88 (s), -152.93 (s).



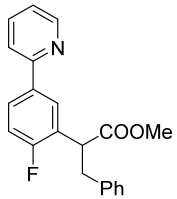
1-(*sec*-Butyl)-2,4,6-triphenylpyridin-1-ium tetrafluoroborate (2l): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.81 (s, 2H), 7.76 – 7.66 (m, 6H), 7.61 – 7.55 (m, 6H), 7.53 – 7.50 (m, 1H), 7.49 – 7.44 (m, 2H), 4.85 – 4.77 (m, 1H), 1.92 – 1.81 (m, 1H), 1.51 – 1.43 (m, 1H), 1.40 (d, *J* = 7.0 Hz, 3H), 0.62 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 157.4, 155.3, 134.1, 134.0, 132.1, 131.0, 129.7, 129.4, 129.0, 128.4, 68.7, 30.2, 21.4, 11.3; ^{19}F NMR (564 MHz, $CDCl_3$) δ -153.32 (s), -153.37 (s).



1-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-2,4,6-triphenylpyridin-1-ium tetrafluoroborate (2m): Prepared via general procedure E; white solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.87 (s, 2H), 7.83 – 7.72 (m, 6H), 7.67 – 7.59 (m, 6H), 7.58 – 7.45 (m, 3H), 6.47 (d, *J* = 7.8 Hz, 1H), 5.84 (s, 2H), 5.74 (d, *J* = 7.7 Hz, 1H), 5.66 (s, 1H), 4.60 – 4.50 (m, 2H), 2.65 – 2.56 (m, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 156.6, 156.1, 147.9, 146.8, 134.2, 132.9, 132.2, 131.3, 129.8, 129.5, 129.2, 128.9, 128.3, 126.8, 121.4, 108.6, 108.5, 101.1, 56.1, 35.5; ^{19}F NMR (564 MHz, $CDCl_3$) δ -153.12 (s), -153.17 (s).

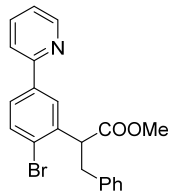


Methyl 3-phenyl-2-(3-(pyridin-2-yl)phenyl)propanoate (3a): 91% (58 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.71 (d, *J* = 4.8 Hz, 1H), 7.96 (s, 1H), 7.89 (d, *J* = 7.6 Hz, 1H), 7.77 – 7.73 (m, 1H), 7.70 (d, *J* = 7.8 Hz, 1H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.40 (d, *J* = 7.7 Hz, 1H), 7.27 – 7.23 (m, 3H), 7.21 – 7.14 (m, 3H), 3.98 (dd, *J* = 9.0, 6.5 Hz, 1H), 3.61 (s, 3H), 3.49 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.10 (dd, *J* = 13.8, 6.4 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.9, 157.3, 149.8, 139.9, 139.3, 139.1, 136.9, 129.2, 129.1, 128.6, 128.5, 126.8, 126.5, 126.2, 122.3, 120.8, 53.8, 52.1, 40.0; FT-IR (thin film, KBr): ν (cm^{-1}) 2948, 1732, 1588, 1206, 696; HRMS (ESI) calcd $C_{21}H_{20}NO_2$ $[M + H]^+$: 318.1489, found: 318.1488.

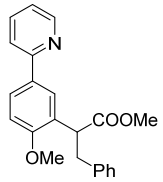


Methyl 2-(2-fluoro-5-(pyridin-2-yl)phenyl)-3-phenylpropanoate (3b): 90% (60 mg); Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 – 8.66 (m, 1H), 7.98 (dd, *J* = 7.0, 2.3 Hz, 1H), 7.92 – 7.86 (m, 1H), 7.76 – 7.71 (m, 1H), 7.66 – 7.62 (m, 1H), 7.26 – 7.20 (m, 3H), 7.20 – 7.08 (m, 4H), 4.29 (dd, *J* = 8.1, 7.3 Hz, 1H), 3.64 (s, 3H), 3.50 (dd, *J* = 13.8, 8.3 Hz, 1H), 3.10 (dd, *J* = 13.7, 7.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.2, 161.2 (d, *J* = 249.1 Hz), 156.4, 149.8, 138.8, 136. 9, 135.9 (d, *J* = 2.8 Hz), 129.1, 128.5, 128.0 (d, *J* = 3.8 Hz), 127.8 (d, *J* = 8.6 Hz), 126.6, 126.2 (d, *J* = 15.3 Hz), 122.2, 120.5, 116.0 (d, *J* = 23.1 Hz), 52.3, 46.0, 38.9; ^{19}F NMR (377 MHz,

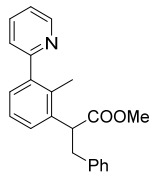
$CDCl_3$) δ -117.97 – -118.02 (m, 1F); FT-IR (thin film, KBr): ν (cm^{-1}) 2956, 1732, 1503, 1214, 700; HRMS (ESI) calcd $C_{21}H_{19}FNO_2$ $[M + H]^+$: 336.1394, found: 336.1399.



Methyl 2-(2-bromo-5-(pyridin-2-yl)phenyl)-3-phenylpropanoate (3c): 82% (65 mg); Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.76 – 8.73 (m, 1H), 8.09 (d, *J* = 1.9 Hz, 1H), 7.84 – 7.77 (m, 2H), 7.74 – 7.68 (m, 2H), 7.31 – 7.26 (m, 5H), 7.25 – 7.21 (m, 1H), 4.58 (dd, *J* = 9.1, 6.0 Hz, 1H), 3.67 (s, 3H), 3.47 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.14 (dd, *J* = 13.8, 6.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.3, 156.2, 149.9, 139.2, 138.8, 138.6, 137.0, 133.6, 129.2, 128.5, 127.4, 127.3, 126.6, 125.7, 122.7, 120.6, 52.3, 52.2, 39.5; FT-IR (thin film, KBr): ν (cm^{-1}) 2921, 1732, 1584, 1214, 704; HRMS (ESI) calcd $C_{21}H_{19}{}^{79}BrNO_2$ $[M + H]^+$: 396.0594, found: 396.0591.

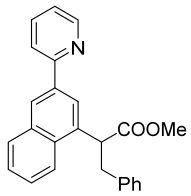


Methyl 2-(2-methoxy-5-(pyridin-2-yl)phenyl)-3-phenylpropanoate (3d): 97% (67 mg); Yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ 8.66 – 8.64 (m, 1H), 7.92 (dd, *J* = 8.6, 2.3 Hz, 1H), 7.87 (d, *J* = 2.3 Hz, 1H), 7.74 – 7.68 (m, 1H), 7.66 – 7.60 (m, 1H), 7.24 – 7.19 (m, 2H), 7.19 – 7.12 (m, 4H), 6.94 (d, *J* = 8.6 Hz, 1H), 4.38 (dd, *J* = 8.4, 6.8 Hz, 1H), 3.80 (s, 3H), 3.62 (s, 3H), 3.43 (dd, *J* = 13.6, 8.4 Hz, 1H), 3.04 (dd, *J* = 13.6, 6.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.2, 157.7, 157.1, 149.6, 139.7, 136.7, 132.1, 129.2, 128.2, 127.9, 127.19, 127.16, 126.3, 121.6, 120.1, 111.1, 55.9, 52.0, 46.5, 39.0; FT-IR (thin film, KBr): ν (cm^{-1}) 2921, 1736, 1463, 1253, 696; HRMS (ESI) calcd $C_{22}H_{22}NO_3$ $[M + H]^+$: 348.1594, found: 348.1603.

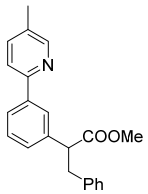


Methyl 2-(2-methyl-3-(pyridin-2-yl)phenyl)-3-phenylpropanoate (3f): 38% (25 mg); Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.73 – 8.69 (m, 1H), 7.76 (td, *J* = 7.7, 1.6 Hz, 1H), 7.51 (d, *J* = 7.5 Hz, 1H), 7.35 – 7.30 (m, 2H), 7.29 – 7.26 (m, 4H), 7.23 – 7.17 (m, 3H), 4.26 (dd, *J* = 9.0, 5.9 Hz, 1H), 3.63 (s, 3H), 3.49 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.01 (dd, *J* = 13.8, 5.9 Hz, 1H), 2.22 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.3, 160.8, 149.3, 141.8, 139.5, 138.5, 136.3, 133.9, 129.1, 128.9, 128.5, 127.1,

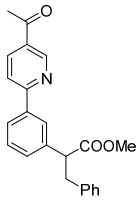
126.5, 126.2, 124.6, 121.8, 52.1, 49.2, 39.8, 16.5; FT-IR (thin film, KBr): ν (cm^{-1}) 2948, 1736, 1580, 1210, 700; HRMS (ESI) calcd $C_{22}H_{21}NO_2Na$ $[M + Na]^+$: 354.1465, found: 354.1460.



Methyl 3-phenyl-2-(3-(pyridin-2-yl)naphthalen-1-yl)propanoate (3g): 44% (32 mg), Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.79 – 8.75 (m, 1H), 8.46 (s, 1H), 8.24 (s, 1H), 8.16 (d, *J* = 8.3 Hz, 1H), 8.00 (d, *J* = 7.9 Hz, 1H), 7.87 (d, *J* = 7.9 Hz, 1H), 7.83 – 7.79 (m, 1H), 7.59 – 7.51 (m, 2H), 7.30 – 7.24 (m, 5H), 7.22 – 7.18 (m, 1H), 4.75 (dd, *J* = 9.5, 5.4 Hz, 1H), 3.73 (dd, *J* = 13.8, 9.6 Hz, 1H), 3.61 (s, 3H), 3.24 (dd, *J* = 13.8, 5.3 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.2, 157.1, 150.0, 139.6, 136.9, 136.4, 135.8, 134.4, 131.7, 130.0, 129.1, 128.6, 127.2, 126.6, 126.5, 126.3, 124.0, 123.1, 122.4, 121.0, 52.3, 49.3, 39.6; FT-IR (thin film, KBr): ν (cm^{-1}) 2921, 1731, 1586, 1205, 698; HRMS (ESI) calcd $C_{25}H_{21}NO_2Na$ $[M + Na]^+$: 390.1465, found: 390.1455.

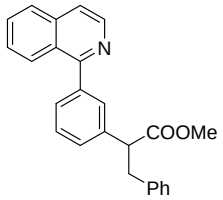


Methyl 2-(3-(5-methylpyridin-2-yl)phenyl)-3-phenylpropanoate (3h): 56% (37 mg); Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.92 (s, 1H), 7.86 (d, *J* = 7.6 Hz, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.52 (m, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.37 (d, *J* = 7.7 Hz, 1H), 7.26 – 7.22 (m, 2H), 7.20 – 7.14 (m, 3H), 3.96 (dd, *J* = 9.1, 6.4 Hz, 1H), 3.60 (s, 3H), 3.48 (dd, *J* = 13.8, 9.2 Hz, 1H), 3.09 (dd, *J* = 13.8, 6.3 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.9, 154.6, 150.2, 140.0, 139.3, 139.2, 137.4, 131.9, 129.2, 129.1, 128.5, 128.2, 126.6, 126.5, 126.0, 120.3, 53.8, 52.2, 40.0, 18.3; FT-IR (thin film, KBr): ν (cm^{-1}) 2952, 1729, 1561, 1210, 700; HRMS (ESI) calcd $C_{22}H_{22}NO_2$ $[M + H]^+$: 332.1645, found: 332.1652.

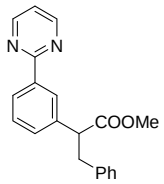


Methyl 2-(3-(5-acetylpyridin-2-yl)phenyl)-3-phenylpropanoate (3i): 50% (36 mg); Yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ 9.23 (dd, *J* = 2.3, 0.7 Hz, 1H), 8.29 (dd, *J* = 8.3, 2.3 Hz, 1H), 8.01 (s, 1H), 7.96 (dt, *J* = 6.8, 2.0 Hz, 1H), 7.81 (dd, *J* = 8.3, 0.7 Hz,

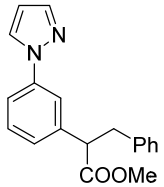
1H), 7.48 – 7.42 (m, 2H), 7.25 – 7.22 (m, 2H), 7.20 – 7.13 (m, 3H), 3.97 (dd, *J* = 8.9, 6.6 Hz, 1H), 3.62 (s, 3H), 3.48 (dd, *J* = 13.7, 8.9 Hz, 1H), 3.10 (dd, *J* = 13.7, 6.6 Hz, 1H), 2.67 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 196.6, 173.8, 160.8, 150.3, 139.6, 139.0, 138.7, 136.5, 130.8, 129.8, 129.4, 129.1, 128.5, 127.3, 126.6, 120.4, 53.8, 52.2, 40.0, 26.9; FT-IR (thin film, KBr): ν (cm^{-1}) 2929, 1725, 1682, 1588, 1273; HRMS (ESI) calcd $C_{23}H_{22}NO_3$ $[M + H]^+$: 360.1594, found: 360.1586.



Methyl 2-(3-(isoquinolin-1-yl)phenyl)-3-phenylpropanoate (3j): 43% (32 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.60 (d, *J* = 5.7 Hz, 1H), 7.89 – 7.85 (m, 2H), 7.68 (t, *J* = 7.6 Hz, 1H), 7.64 (d, *J* = 5.6 Hz, 1H), 7.61 – 7.58 (m, 1H), 7.57 (s, 1H), 7.52 – 7.47 (m, 3H), 7.25 (t, *J* = 7.3 Hz, 2H), 7.19 (t, *J* = 7.3 Hz, 1H), 7.15 (d, *J* = 7.9 Hz, 2H), 3.95 (t, *J* = 7.8 Hz, 1H), 3.61 (s, 3H), 3.47 (dd, *J* = 13.7, 8.6 Hz, 1H), 3.12 (dd, *J* = 13.7, 7.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.8, 160.5, 142.3, 140.0, 139.1, 138.7, 137.0, 130.1, 130.0, 129.1, 128.9, 128.5, 128.1, 127.6, 127.4, 127.1, 126.8, 126.5, 120.2, 53.7, 52.2, 40.0; FT-IR (thin film, KBr): ν (cm^{-1}) 2925, 1736, 1553, 1202, 700; HRMS (ESI) calcd $C_{25}H_{21}NO_2Na$ $[M + Na]^+$: 390.1465, found: 390.1457.

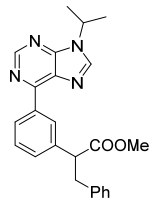


Methyl 3-phenyl-2-(3-(pyrimidin-2-yl)phenyl)propanoate (3k): 84% (53 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.81 (d, *J* = 4.8 Hz, 2H), 8.44 (s, 1H), 8.38 – 8.34 (m, 1H), 7.48 – 7.43 (m, 2H), 7.26 – 7.22 (m, 2H), 7.20 – 7.16 (m, 4H), 4.00 (dd, *J* = 9.1, 6.4 Hz, 1H), 3.61 (s, 3H), 3.50 (dd, *J* = 13.8, 9.1 Hz, 1H), 3.12 (dd, *J* = 13.8, 6.4 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.8, 164.6, 157.4, 139.3, 139.1, 138.1, 130.4, 129.1, 128.5, 128.0, 127.4, 126.5, 119.3, 53.8, 52.1, 39.9; FT-IR (thin film, KBr): ν (cm^{-1}) 2921, 1729, 1553, 1160, 700; HRMS (ESI) calcd $C_{20}H_{18}N_2O_2Na$ $[M + Na]^+$: 341.1260, found: 341.1259.

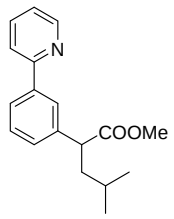


Methyl 2-(3-(*1H*-pyrazol-1-yl)phenyl)-3-phenylpropanoate (3l): 79% (48 mg); Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 – 7.88 (m, 1H), 7.72 (d, *J* = 1.5 Hz, 1H), 7.67 (t, *J* = 1.9 Hz, 1H), 7.58 (ddd, *J* = 8.1, 2.2, 1.0 Hz, 1H), 7.38 (t, *J* = 7.9 Hz,

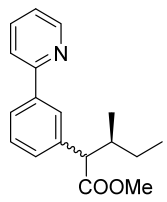
1H), 7.26 – 7.20 (m, 3H), 7.20 – 7.11 (m, 3H), 6.46 (dd, *J* = 2.4, 1.9 Hz, 1H), 3.92 (dd, *J* = 8.8, 6.8 Hz, 1H), 3.61 (s, 3H), 3.45 (dd, *J* = 13.7, 8.8 Hz, 1H), 3.07 (dd, *J* = 13.7, 6.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.5, 141.3, 140.5, 140.3, 138.8, 129.8, 129.1, 128.5, 127.0, 126.6, 126.1, 119.0, 118.3, 107.8, 53.6, 52.3, 39.9; FT-IR (thin film, KBr): ν (cm^{-1}) 2952, 1729, 1596, 1164, 696; HRMS (ESI) calcd $C_{19}H_{19}N_2O_2$ [M + H]$^+$: 307.1441, found: 307.1444.



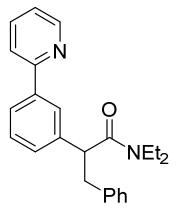
Methyl 2-(3-(9-isopropyl-*9H*-purin-6-yl)phenyl)-3-phenylpropanoate (3m): 26% (21 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.02 (s, 1H), 8.77 – 8.73 (m, 2H), 8.20 (s, 1H), 7.53 – 7.48 (m, 2H), 7.25 – 7.21 (m, 2H), 7.21 – 7.15 (m, 3H), 5.03 – 4.95 (m, 1H), 4.04 (dd, *J* = 9.1, 6.4 Hz, 1H), 3.61 (s, 3H), 3.52 (dd, *J* = 13.8, 9.2 Hz, 1H), 3.15 (dd, *J* = 13.8, 6.3 Hz, 1H), 1.68 (d, *J* = 6.8 Hz, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.9, 154.6, 152.3, 152.2, 142.2, 139.3, 139.2, 136.4, 131.7, 130.5, 129.3, 129.13, 129.08, 128.5, 126.5, 53.8, 52.2, 47.4, 39.9, 22.8; FT-IR (thin film, KBr): ν (cm^{-1}) 2925, 1736, 1577, 1218, 696; HRMS (ESI) calcd $C_{24}H_{25}N_4O_2$ [M + H]$^+$: 401.1972, found: 401.1968.



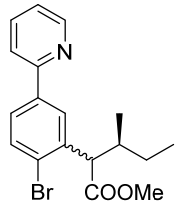
Methyl 4-methyl-2-(3-(pyridin-2-yl)phenyl)pentanoate (4a): 77% (44 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (d, *J* = 4.5 Hz, 1H), 7.94 (s, 1H), 7.87 (d, *J* = 7.5 Hz, 1H), 7.79 – 7.70 (m, 2H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.40 – 7.36 (m, 1H), 7.24 – 7.21 (m, 1H), 3.77 (t, *J* = 7.8 Hz, 1H), 3.65 (s, 3H), 2.08 – 1.99 (m, 1H), 1.76 – 1.69 (m, 1H), 1.56 – 1.45 (m, 1H), 0.92 (d, J = 6.6 Hz, 3H), 0.91 (d, *J* = 6.6 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.8, 157.4, 149.8, 140.1, 139.9, 136.9, 129.2, 128.5, 126.9, 125.9, 122.3, 120.9, 52.1, 49.7, 42.7, 26.1, 22.7, 22.5; FT-IR (thin film, KBr): ν (cm^{-1}) 2952, 1732, 1588, 1152, 766; HRMS (ESI) calcd $C_{18}H_{21}NO_2Na$ [M + Na]$^+$: 306.1465, found: 306.1468.



Methyl (3*R*)-3-methyl-2-(3-(pyridin-2-yl)phenyl)pentanoate (4b): 63% (36 mg, 1:1.2 dr); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (d, *J* = 4.7 Hz, 1H), 7.94 (s, 1H), 7.92 – 7.87 (m, 1H), 7.77 – 7.71 (m, 2H), 7.45 – 7.39 (m, 2H), 7.23 (dd, *J* = 8.1, 3.6 Hz, 1H), 3.66 (s, 3H), 3.39 (d, *J* = 6.7 Hz, 1H)/ 3.37 (d, *J* = 6.6 Hz, 1H), 2.28 – 2.18 (m, 1H), 1.60 – 1.53 (m, 0.55H), 1.24 – 1.18 (m, 1.45H), 1.03 (d, *J* = 6.5 Hz, 1.65H)/0.71 (d, *J* = 6.7 Hz, 1.35H), 0.96 (t, *J* = 7.4 Hz, 1.35H)/ 0.79 (t, *J* = 7.4 Hz, 1.65H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.7/174.6, 157.4, 149.8, 139.7, 139.0/138.9, 136.9, 129.2/129.1, 129.08/129.06, 127.5, 126.02/125.99, 122.3, 120.9, 58.6, 51.9, 38.13/38.07, 28.1/26.3, 17.4/16.4, 11.3/10.9; FT-IR (thin film, KBr): ν (cm^{-1}) 2962, 1732, 1584, 1193, 771; HRMS (ESI) calcd $C_{18}H_{22}NO_2$ $[M + H]^+$: 284.1645, found: 284.1655.

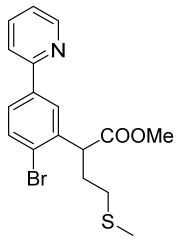


***N,N*-Diethyl-3-phenyl-2-(3-(pyridin-2-yl)phenyl)propenamide (4c):** 96% (69 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 (d, *J* = 4.6 Hz, 1H), 7.90 (s, 1H), 7.88 (d, *J* = 6.6 Hz, 1H), 7.74 (t, *J* = 7.7 Hz, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.43 – 7.38 (m, 2H), 7.25 – 7.20 (m, 3H), 7.19 – 7.13 (m, 3H), 4.02 (dd, *J* = 8.7, 5.9 Hz, 1H), 3.55 (dd, *J* = 13.3, 8.9 Hz, 1H), 3.40 – 3.32 (m, 1H), 3.30 – 3.22 (m, 2H), 3.06 – 2.93 (m, 2H), 1.02 (t, *J* = 7.1 Hz, 3H), 0.86 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 171.6, 157.4, 149.8, 140.9, 140.3, 139.8, 136.9, 129.4, 129.3, 128.7, 128.3, 126.7, 126.3, 125.8, 122.3, 120.9, 51.3, 42.0, 41.9, 40.8, 14.5, 13.0; FT-IR (thin film, KBr): ν (cm^{-1}) 2971, 2929, 1627, 1432, 700; HRMS (ESI) calcd $C_{24}H_{27}N_2O$ $[M + H]^+$: 359.2118, found: 359.2119.

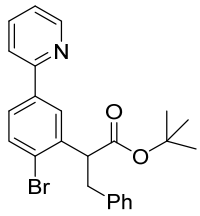


Methyl (3*R*)-2-(2-bromo-5-(pyridin-2-yl)phenyl)-3-methylpentanoate (4d): 39% (28 mg, 1:1.1 dr); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 – 8.67 (m, 1H), 8.16 – 8.13 (m, 1H), 7.81 – 7.77 (m, 1H), 7.76 – 7.70 (m, 2H), 7.67 (dd, *J* = 8.4, 2.3 Hz, 1H), 7.25 – 7.22 (m, 1H), 4.13 – 4.07 (m, 1H), 3.68 (s, 1.57H)/3.67 (s, 1.43H), 2.31 – 2.20 (m, 1.3H)/1.65 – 1.58 (m, 0.7H), 1.33 – 1.25 (m, 1H), 1.07 (d, *J* = 6.5 Hz, 1.57H)/0.74 (d, *J* = 6.8 Hz, 1.43H), 0.97 (t, *J* = 7.4 Hz, 1.43H)/0.81 (t, *J* = 7.4 Hz, 1.57H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.2/174.1, 156.4, 149.9, 139.22/139.17, 138.3/138.1, 137.0, 133.4, 127.6/127.5, 127.20/127.15, 126.72/126.70, 122.6, 120.7, 55.7/55.6, 52.1, 39.1/38.9, 28.0/26.0, 17.3/15.7, 11.3/11.2; FT-IR (thin film, KBr): ν (cm^{-1}) 2963, 1736, 1455, 1170, 780; HRMS (ESI) calcd $C_{18}H_{21}NO_2{}^{79}Br$ $[M + H]^+$:

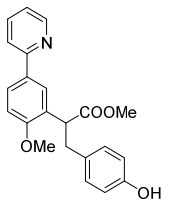
362.0750, found: 362.0743.



Methyl 2-(2-bromo-5-(pyridin-2-yl)phenyl)-4-(methylthio)butanoate (4e): 67% (51 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.68 (d, *J* = 4.6 Hz, 1H), 7.96 (d, *J* = 2.1 Hz, 1H), 7.80 – 7.72 (m, 2H), 7.68 (t, *J* = 8.5 Hz, 2H), 7.27 – 7.22 (m, 1H), 4.41 (t, *J* = 7.2 Hz, 1H), 3.70 (s, 3H), 2.54 – 2.49 (m, 2H), 2.49 – 2.42 (m, 1H), 2.16 – 2.10 (m, 1H), 2.10 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.5, 156.1, 149.9, 139.4, 138.7, 137.0, 133.7, 127.39, 127.35, 125.7, 122.7, 120.6, 52.5, 49.1, 32.3, 32.0, 15.5; FT-IR (thin film, KBr): ν (cm^{-1}) 2913, 1729, 1588, 1219, 778; HRMS (ESI) calcd $C_{17}H_{19}{}^{79}BrNO_2S$ $[M + H]^+$: 380.0314, found: 380.0306.

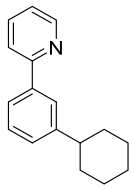


***tert*-Butyl 2-(2-bromo-5-(pyridin-2-yl)phenyl)-3-phenylpropanoate (4f):** 76% (67 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.71 (d, *J* = 4.7 Hz, 1H), 8.13 (d, *J* = 1.9 Hz, 1H), 7.82 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.78 – 7.75 (m, 1H), 7.72 – 7.66 (m, 2H), 7.30 – 7.25 (m, 5H), 7.24 – 7.18 (m, 1H), 4.44 (dd, *J* = 9.4, 5.8 Hz, 1H), 3.37 (dd, *J* = 13.8, 9.5 Hz, 1H), 3.10 (dd, *J* = 13.8, 5.8 Hz, 1H), 1.36 (s, 9H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 172.0, 156.3, 149.9, 139.2, 139.14, 139.06, 137.0, 133.5, 129.3, 128.4, 127.1, 126.5, 125.8, 122.6, 120.5, 81.4, 53.1, 39.4, 28.0; FT-IR (thin film, KBr): ν (cm^{-1}) 2929, 1725, 1460, 1144, 778; HRMS (ESI) calcd $C_{24}H_{25}NO_2{}^{79}Br$ $[M + H]^+$: 438.1063, found: 438.1066.

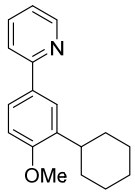


Methyl 3-(4-hydroxyphenyl)-2-(2-methoxy-5-(pyridin-2-yl)phenyl) propano-ate (4g): 92% (67 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.63 (d, *J* = 4.4 Hz, 1H), 7.89 – 7.85 (m, 1H), 7.84 (d, *J* = 1.2 Hz, 1H), 7.72 (t, *J* = 7.7 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.21 – 7.16 (m, 1H), 6.96 – 6.87 (m, 3H), 6.62 (d, *J* = 8.3 Hz, 2H), 4.31 (t, *J* = 7.6 Hz, 1H), 3.77 (s, 3H), 3.60 (s, 3H), 3.31 (dd, *J* = 13.8, 8.3 Hz, 1H), 2.95 (dd, *J* = 13.8, 7.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 174.6, 157.8, 157.3,

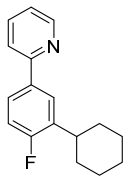
154.8, 149.4, 137.1, 131.8, 131.1, 130.2, 127.9, 127.4, 127.4, 121.8, 120.6, 115.2, 111.2, 55.9, 52.1, 46.7, 38.0; FT-IR (thin film, KBr): ν (cm^{-1}) 2925, 1732, 1596, 1210, 778; HRMS (ESI) calcd $C_{22}H_{22}NO_4$ $[M + H]^+$: 364.1543, found: 364.1541.



2-(3-Cyclohexylphenyl)pyridine (4h): 44% (21 mg); Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.70 (d, *J* = 4.7 Hz, 1H), 7.87 (s, 1H), 7.77 (d, *J* = 7.7 Hz, 1H), 7.74 – 7.71 (m, 2H), 7.39 (t, *J* = 7.7 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.23 – 7.20 (m, 1H), 2.61 (tt, *J* = 11.9, 3.2 Hz, 1H), 1.97 – 1.91 (m, 2H), 1.89 – 1.83 (m, 2H), 1.79 – 1.74 (m, 1H), 1.55 – 1.47 (m, 2H), 1.45 – 1.37 (m, 2H), 1.33 – 1.23 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 158.0, 149.8, 148.8, 139.5, 136.8, 128.8, 127.6, 125.8, 124.6, 122.1, 120.8, 44.9, 34.6, 27.1, 26.3; FT-IR (thin film, KBr): ν (cm^{-1}) 2921, 2847, 1584, 1464, 770; HRMS (ESI) calcd $C_{17}H_{20}N$ $[M + H]^+$: 238.1590, found: 238.1588.

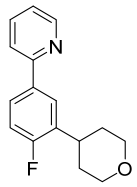


2-(3-Cyclohexyl-4-methoxyphenyl)pyridine (4i): 29% (16 mg); Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.66 (d, *J* = 4.7 Hz, 1H), 7.88 (d, *J* = 2.1 Hz, 1H), 7.79 (dd, *J* = 8.5, 2.2 Hz, 1H), 7.71 – 7.65 (m, 2H), 7.18 – 7.13 (m, 1H), 6.93 (d, *J* = 8.5 Hz, 1H), 3.88 (s, 3H), 3.04 – 2.97 (m, 1H), 1.91 – 1.80 (m, 4H), 1.79 – 1.72 (m, 1H), 1.55 – 1.42 (m, 4H), 1.34 – 1.25 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 157.9, 157.8, 149.6, 136.7, 136.6, 131.9, 125.5, 125.3, 121.3, 120.1, 110.6, 55.7, 37.2, 33.3, 27.3, 26.6; FT-IR (thin film, KBr): ν (cm^{-1}) 2923, 2849, 1587, 1240, 779; HRMS (ESI) calcd $C_{18}H_{22}NO$ $[M + H]^+$: 268.1696, found: 268.1698.

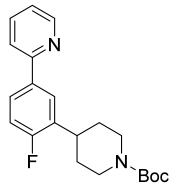


2-(3-Cyclohexyl-4-fluorophenyl)pyridine (4j): 65% (33 mg); Yellow oil; ^1H NMR (600 MHz, $CDCl_3$) δ 8.67 (d, *J* = 4.7 Hz, 1H), 7.91 (dd, *J* = 7.2, 2.1 Hz, 1H), 7.77 – 7.71 (m, 2H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.22 – 7.18 (m, 1H), 7.10 – 7.06 (m, 1H), 2.92 (tt, *J* = 12.1, 3.2 Hz, 1H), 1.94 – 1.83 (m, 4H), 1.77 (d, *J* = 13.0 Hz, 1H), 1.61 – 1.52 (m, 2H), 1.50 – 1.39 (m, 2H), 1.32 – 1.23 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.6 (d, *J* = 247.3 Hz), 157.1, 149.8, 136.8, 135.6 (d, *J* = 2.8 Hz), 134.9 (d, *J* = 15.2 Hz), 126.8 (d, *J* = 5.7 Hz), 125.8 (d, *J* = 8.7 Hz), 122.0, 120.5, 115.7 (d, *J* = 23.7 Hz),

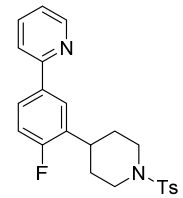
37.7, 33.1, 27.0, 26.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ -119.24 – -119.30 (m, 1F); FT-IR (thin film, KBr): ν (cm^{-1}) 2925, 2852, 1588, 1228, 778; HRMS (ESI) calcd $C_{17}H_{19}NF$ $[M + H]^+$: 256.1496, found: 256.1501.



2-(4-Fluoro-3-(tetrahydro-2*H*-pyran-4-yl)phenyl)pyridine (4k): 76% (39 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 – 8.65 (m, 1H), 7.92 (d, *J* = 7.2 Hz, 1H), 7.80 – 7.76 (m, 1H), 7.76 – 7.71 (m, 1H), 7.66 (dd, *J* = 7.9, 0.7 Hz, 1H), 7.23 – 7.19 (m, 1H), 7.11 (t, *J* = 9.3 Hz, 1H), 4.09 (dd, *J* = 11.4, 4.1 Hz, 2H), 3.57 (t, *J* = 11.7 Hz, 2H), 3.19 – 3.12 (m, 1H), 2.02 – 1.90 (m, 2H), 1.83 – 1.76 (m, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.5 (d, *J* = 247.7 Hz), 156.8, 149.8, 136.9, 135.8 (d, *J* = 2.8 Hz), 132.8 (d, *J* = 14.8 Hz), 126.7 (d, *J* = 5.2 Hz), 126.4 (d, *J* = 8.7 Hz), 122.1, 120.4, 115.8 (d, *J* = 23.4 Hz), 68.5, 35.1, 32.6; ^{19}F NMR (377 MHz, $CDCl_3$) δ -119.18 – -119.24 (m, 1F); FT-IR (thin film, KBr): ν (cm^{-1}) 2948, 2839, 1588, 1230, 778; HRMS (ESI) calcd $C_{16}H_{17}NOF$ $[M + H]^+$: 258.1289, found: 258.1285.

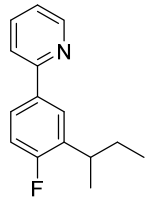


***tert*-Butyl 4-(2-fluoro-5-(pyridin-2-yl)phenyl)piperidine-1-carboxylate (4l):** 40% (29 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.67 (d, *J* = 4.5 Hz, 1H), 7.88 (d, *J* = 7.0 Hz, 1H), 7.79 – 7.76 (m, 1H), 7.74 (t, *J* = 7.7 Hz, 1H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.24 – 7.19 (m, 1H), 7.11 (t, *J* = 9.2 Hz, 1H), 4.37 – 4.17 (m, 2H), 3.09 – 3.02 (m, 1H), 2.90 – 2.77 (m, 2H), 1.89 – 1.83 (m, 2H), 1.82 – 1.71 (m, 2H), 1.48 (s, 9H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.5 (d, *J* = 247.7 Hz), 156.8, 154.9, 149.8, 136.9, 135.8 (d, *J* = 2.5 Hz), 132.8 (d, *J* = 14.9 Hz), 126.7 (d, *J* = 5.0 Hz), 126.4 (d, *J* = 8.7 Hz), 122.2, 120.4, 115.9 (d, *J* = 23.5 Hz), 79.6, 44.7, 36.0, 31.9, 28.6; ^{19}F NMR (377 MHz, $CDCl_3$) δ -119.16 (s, 1F); FT-IR (thin film, KBr): ν (cm^{-1}) 2929, 1686, 1425, 1164, 774; HRMS (ESI) calcd $C_{21}H_{26}FN_2O_2$ $[M + H]^+$: 357.1973, found: 357.1969.

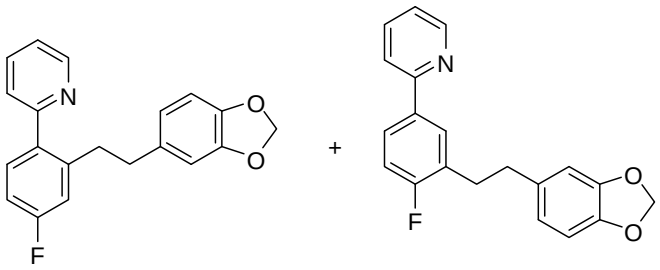


2-(4-Fluoro-3-(1-tosylpiperidin-4-yl)phenyl)pyridine (4m): 59% (48 mg); Yellow solid; 202-204 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.69 – 8.63 (m, 1H), 7.85 (dd, *J* = 7.2, 2.2 Hz, 1H), 7.79 – 7.72 (m, 2H), 7.68 (d, *J* = 8.2 Hz, 2H), 7.65 (d, *J* = 8.0 Hz,

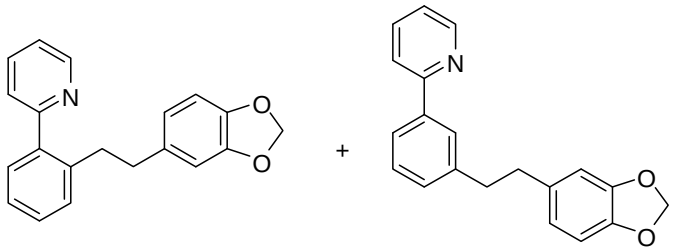
1H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.21 (m, 1H), 7.10 – 7.06 (m, 1H), 3.97 – 3.94 (m, 2H), 2.85 – 2.79 (m, 1H), 2.44 (s, 3H), 2.41 – 2.36 (m, 2H), 2.01 – 1.95 (m, 2H), 1.94 – 1.91 (m, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.3 (d, *J* = 247.7 Hz), 156.6, 149.8, 143.7, 137.0, 135.9 (d, *J* = 3.0 Hz), 133.3, 131.9 (d, *J* = 14.9 Hz), 129.8, 127.9, 126.7 (d, *J* = 8.8 Hz), 126.5 (d, *J* = 4.9 Hz), 122.2, 120.4, 115.9 (d, *J* = 23.3 Hz), 47.0, 35.0, 31.3, 21.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ -119.26 (s, 1F); FT-IR (thin film, KBr): ν (cm^{-1}) 2925, 2858, 1432, 1160, 774; HRMS (ESI) calcd $C_{23}H_{24}FN_2O_2S$ $[M + H]^+$: 411.1537, found: 411.1542.



2-(3-(*sec*-Butyl)-4-fluorophenyl)pyridine (4n): 59% (27 mg); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.68 – 8.67 (m, 1H), 7.87 (dd, *J* = 7.2, 2.3 Hz, 1H), 7.77 – 7.71 (m, 2H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.23 – 7.20 (m, 1H), 7.11 – 7.07 (m, 1H), 3.06 – 3.01 (m, 1H), 1.74 – 1.65 (m, 2H), 1.32 (d, J = 7.0 Hz, 3H), 0.88 (t, J = 7.4 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 161.8 (d, *J* = 247.2 Hz), 157.1, 149.8, 136.9, 135.6 (d, *J* = 2.8 Hz), 134.6 (d, *J* = 15.3 Hz), 127.1 (d, *J* = 5.8 Hz), 125.9 (d, *J* = 8.8 Hz), 122.0, 120.5, 115.7 (d, *J* = 23.8 Hz), 34.8, 30.1, 20.7, 12.4; ^{19}F NMR (377 MHz, $CDCl_3$) δ -118.74 (s, 1F); FT-IR (thin film, KBr): ν (cm^{-1}) 2956, 2929, 1456, 1222, 774; HRMS (ESI) calcd $C_{15}H_{17}FN$ $[M + H]^+$: 230.1340, found: 230.1345.



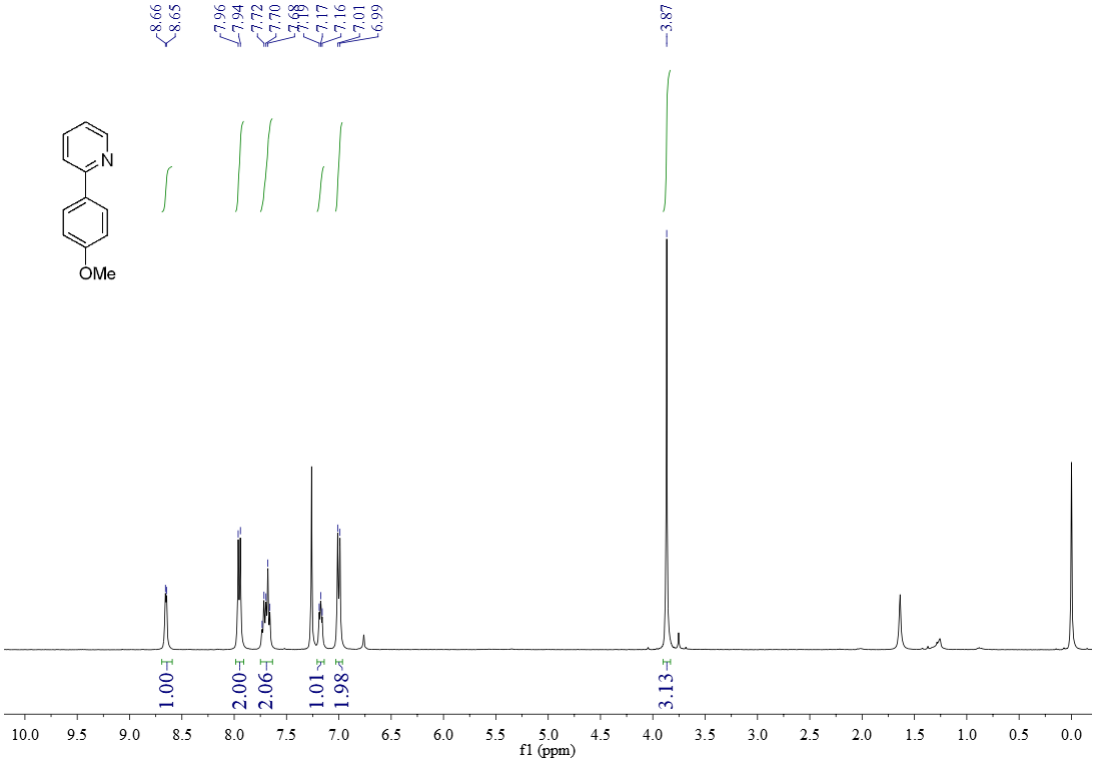
2-(3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)-4-fluorophenyl)pyridine (4o): 63% (40 mg, *m*:*o* = 1:1.5); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.71 – 8.66 (m, 1H), 7.84 (dd, *J* = 7.3, 2.1 Hz, 0.4H), 7.81 – 7.76 (m, 0.4H), 7.76 – 7.71 (m, 1H), 7.64 (d, *J* = 7.9 Hz, 0.4H), 7.31 (dd, *J* = 8.3, 6.0 Hz, 0.6H), 7.34 – 7.27 (m, 1.2H), 7.24 – 7.20 (m, 0.4H), 7.11 (t, *J* = 9.0 Hz, 0.4H), 7.02 – 6.95 (m, 1.2H), 6.74 – 6.71 (m, 0.8H), 6.68 – 6.63 (m, 1H), 6.47 – 6.42 (m, 1.2H), 5.91 (s, 0.8H), 5.89 (s, 1.2H), 2.99 – 2.94 (m, 2H), 2.91 – 2.86 (m, 0.8H), 2.71 – 2.66 (m, 1.2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.8 (d, *J* = 247.0 Hz), 162.0 (d, *J* = 247.7 Hz), 159.4, 156.8, 149.7, 149.3, 147.7, 147.6, 145.9, 145.8, 142.4 (d, *J* = 7.4 Hz), 136.9, 136.6 (d, *J* = 2.9 Hz), 136.5, 135.5 (d, *J* = 4.2 Hz), 131.6 (d, *J* = 8.4 Hz), 129.5 (d, *J* = 5.5 Hz), 128.9 (d, J = 16.4 Hz), 126.5 (d, *J* = 8.5 Hz), 124.2, 122.1, 122.0, 121.4, 121.2, 120.4, 116.6 (d, *J* = 21.1 Hz), 115.7 (d, *J* = 22.8 Hz), 113.1 (d, *J* = 21.2 Hz), 109.1, 108.9, 108.3, 108.2, 100.9, 100.8, 37.3, 36.4, 35.7, 31.9; ^{19}F NMR (377 MHz, $CDCl_3$) δ -114.07 – -114.13 (m, 0.6F), -118.79 – -118.83 (m, 0.4F); FT-IR (thin film, KBr): ν (cm^{-1}) 2925, 1495, 1234, 1035, 778; HRMS (ESI) calcd $C_{20}H_{17}FNO_2$ $[M + H]^+$: 322.1238, found: 322.1241.



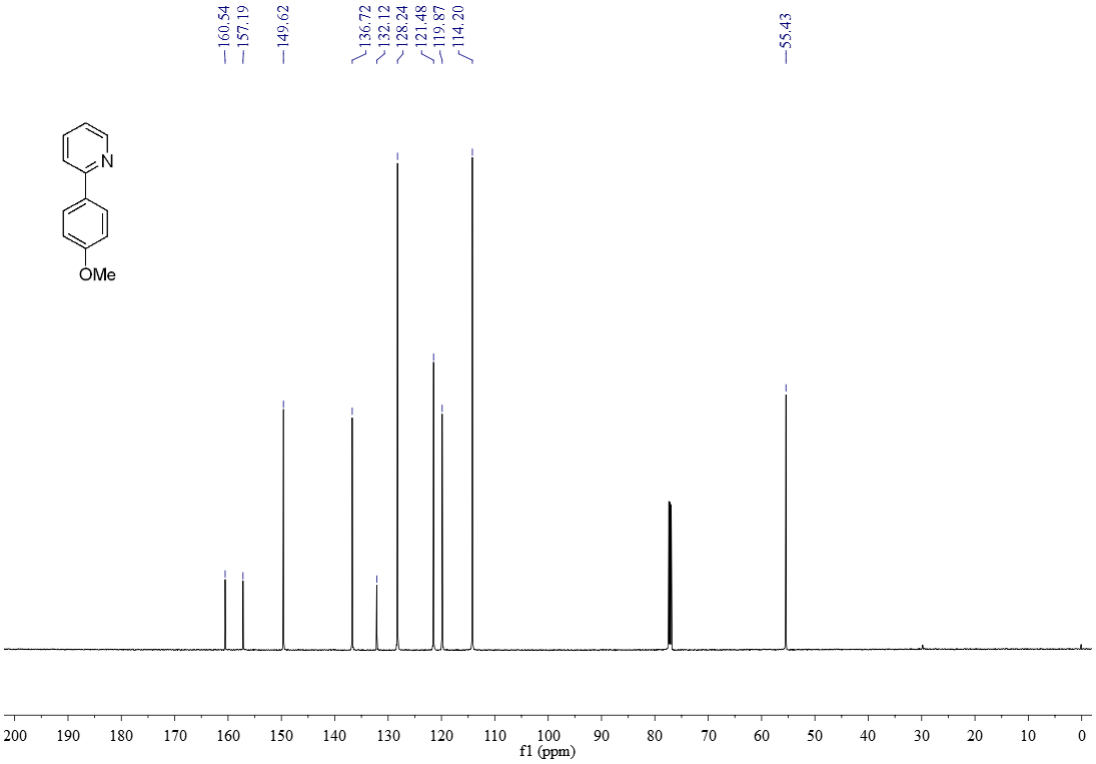
2-(3-(2-(Benzo[*d*][1,3]dioxol-5-yl)ethyl)phenyl)pyridine (4p): 33% (20 mg, *m*:*o* = 1:1.5); Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.72 – 8.69 (m, 1H), 7.86 (s, 0.4H), 7.80 (d, *J* = 7.6 Hz, 0.4H), 7.77 – 7.70 (m, 1.6H), 7.39 (t, *J* = 7.6 Hz, 0.6H), 7.37 – 7.32 (m, 1.6H), 7.32 – 7.26 (m, 1.6H), 7.23 (t, *J* = 6.3 Hz, 0.8H), 6.75 – 6.71 (m, 0.8H), 6.65 (d, *J* = 7.9 Hz, 1H), 6.49 – 6.43 (m, 1.2H), 5.92 (s, 0.8H), 5.88 (s, 1.2H), 3.00 – 2.95 (m, 2H), 2.93 – 2.88 (m, 0.8H), 2.71 – 2.67 (m, 1.2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 160.3, 157.7, 149.8, 149.2, 147.7, 147.5, 145.8, 145.6, 142.3, 140.5, 139.8, 139.6, 136.9, 136.4, 136.0, 135.7, 130.1, 129.9, 129.3, 128.9, 128.5, 127.2, 126.3, 124.7, 124.2, 122.2, 121.9, 121.4, 121.2, 120.8, 38.5, 37.8, 37.7, 35.8; FT-IR (thin film, KBr): ν (cm^{-1}) 2921, 1491, 1245, 1039, 751; HRMS (ESI) calcd $C_{20}H_{18}NO_2$ $[M + H]^+$: 304.1332, found: 304.1334.

7. NMR Spectra for the substrates and products

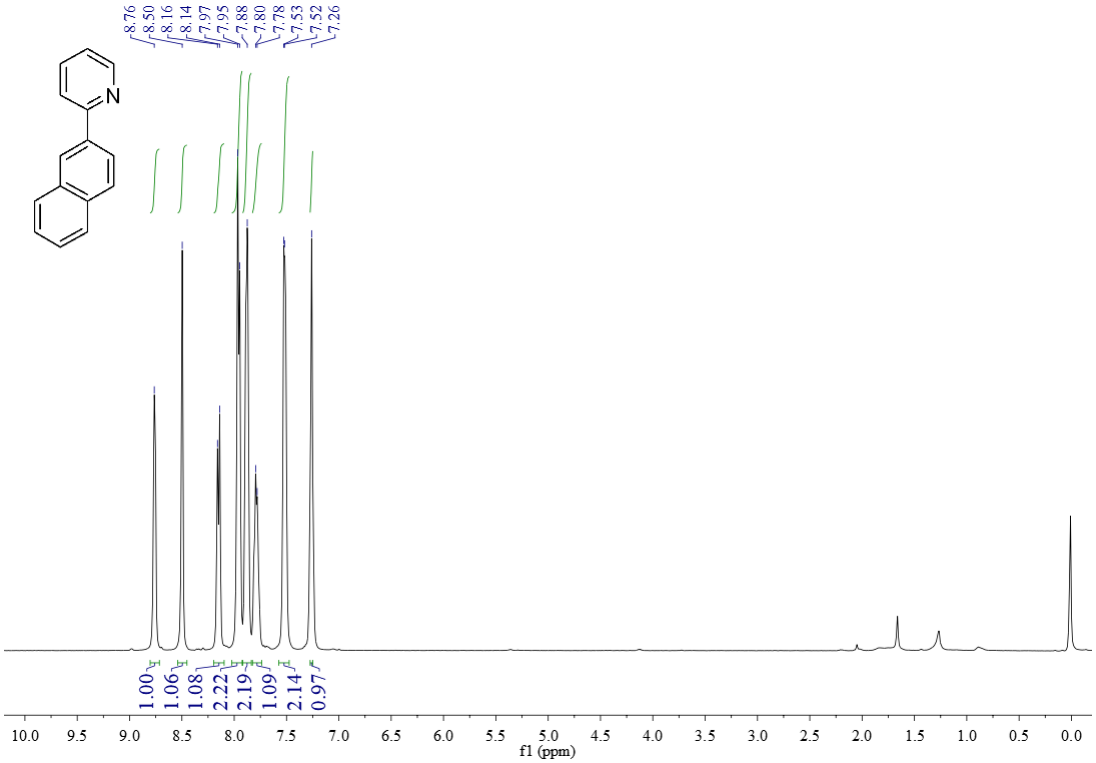
^{1}H NMR of **1d**



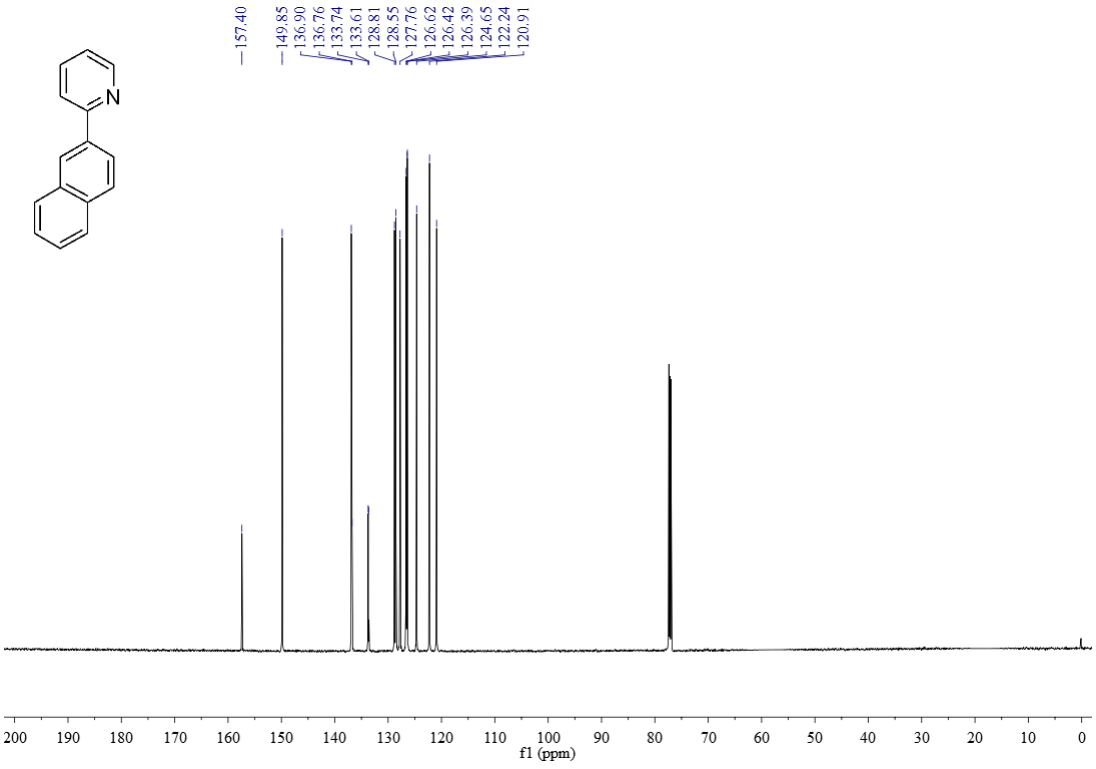
^{13}C NMR of **1d**



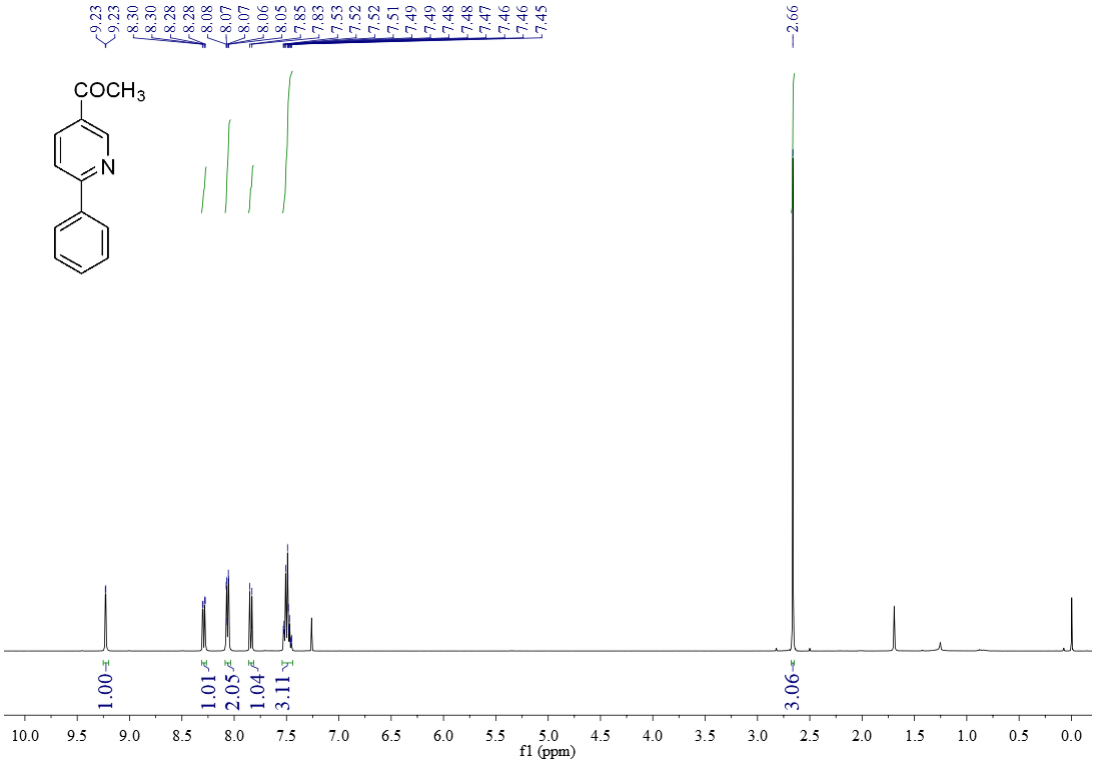
^{1}H NMR of **1g**



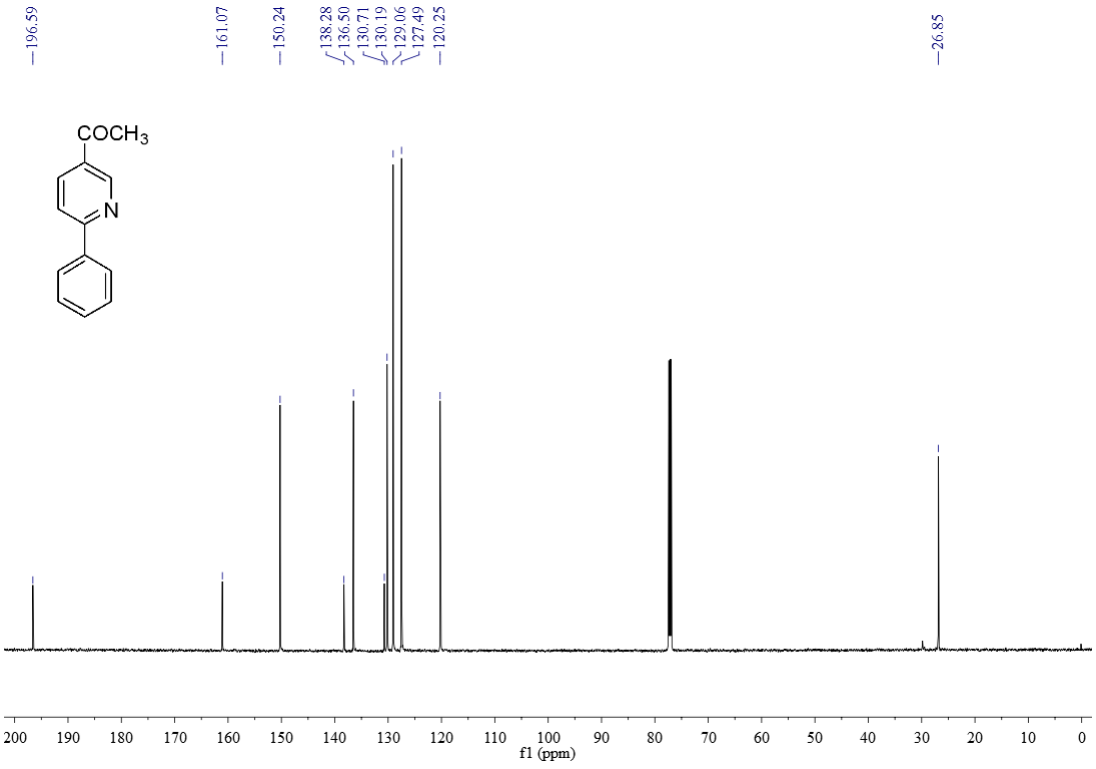
^{13}C NMR of **1g**



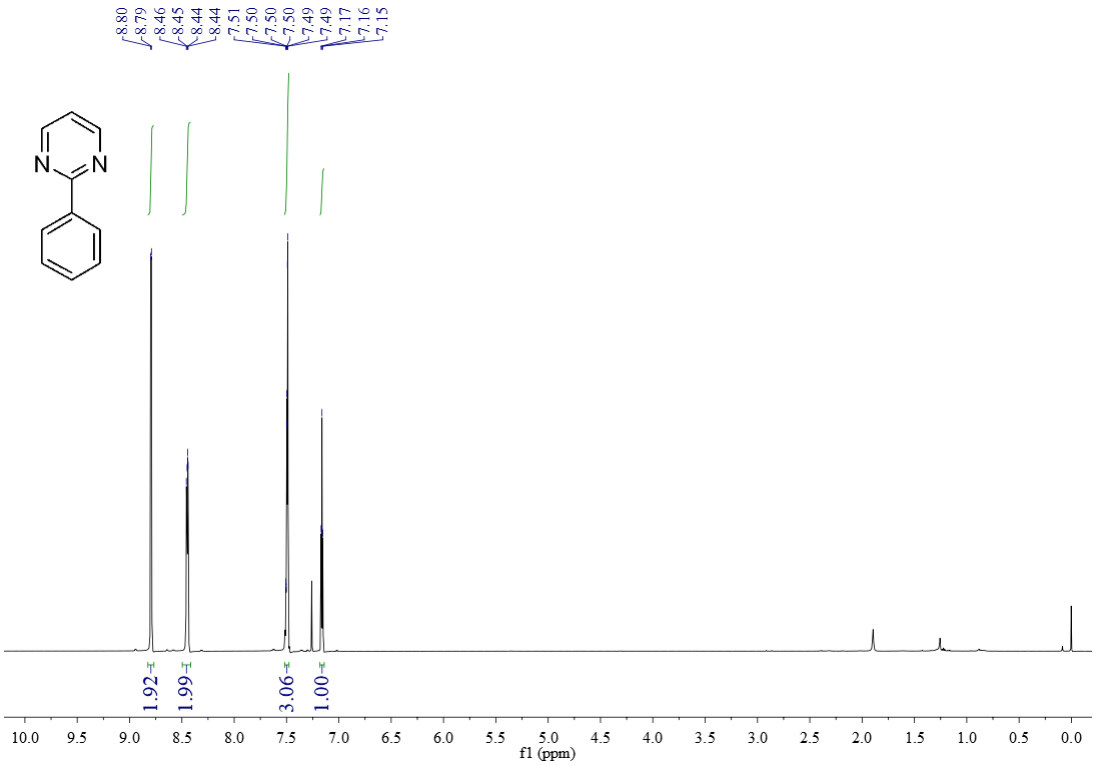
^{1}H NMR of **1i**



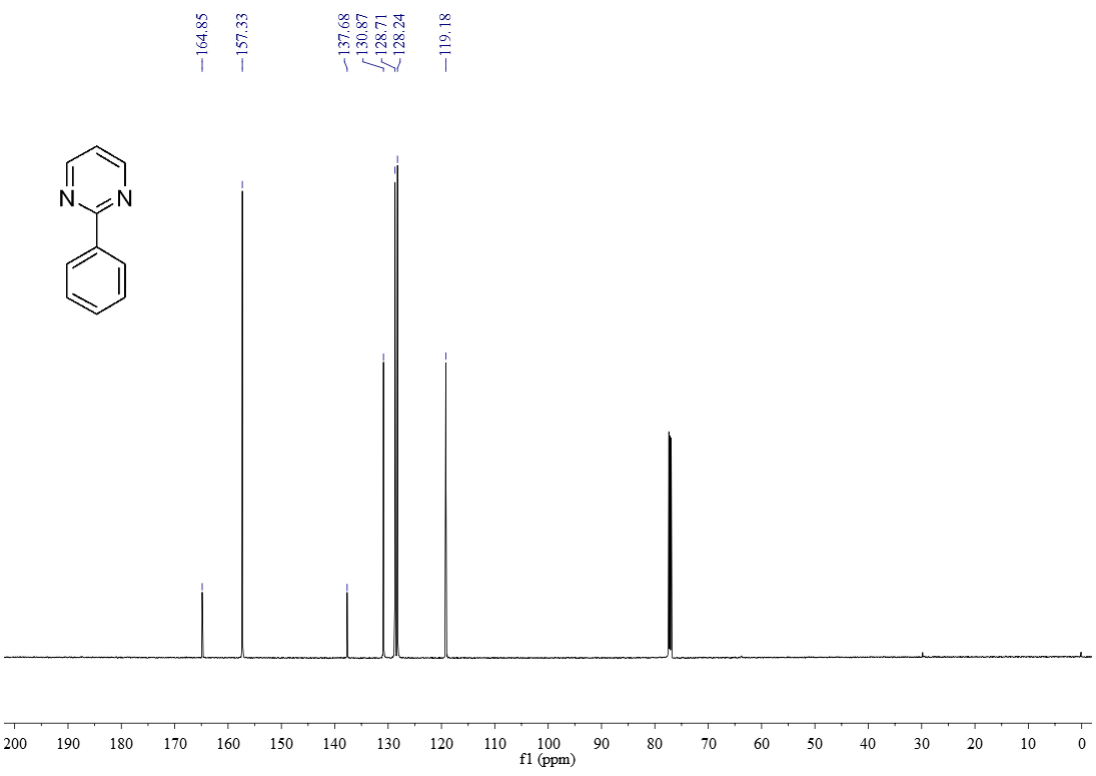
^{13}C NMR of **1i**



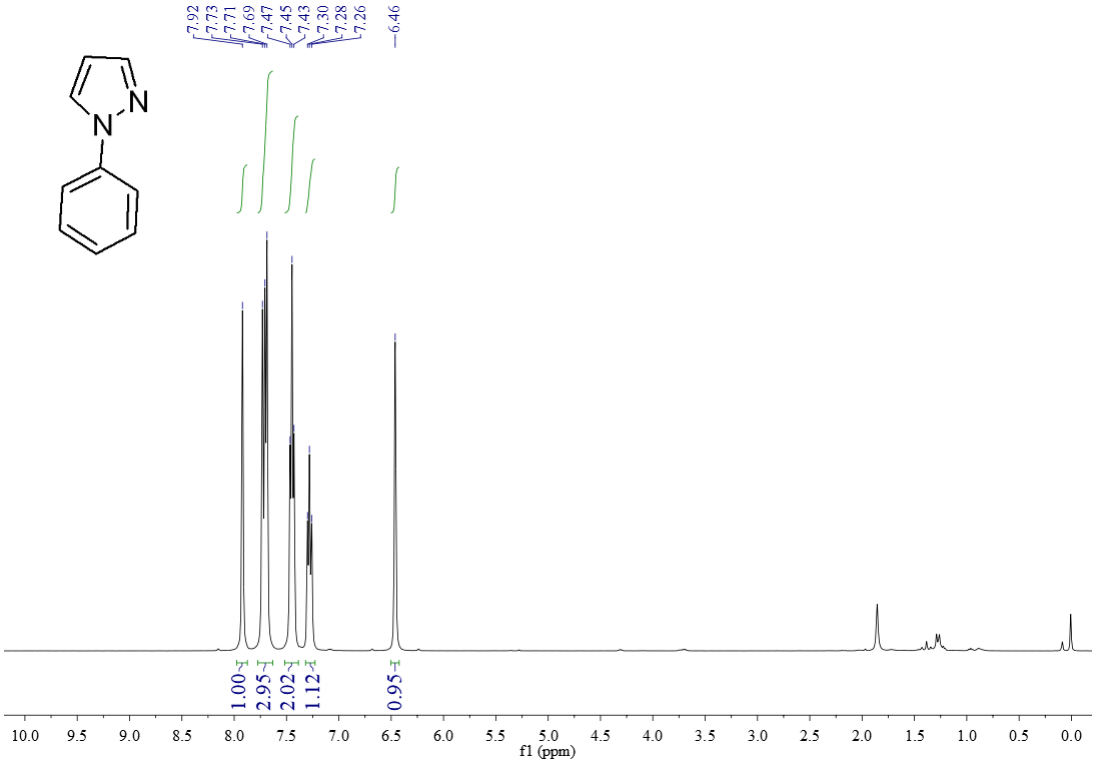
^{1}H NMR of **1k**



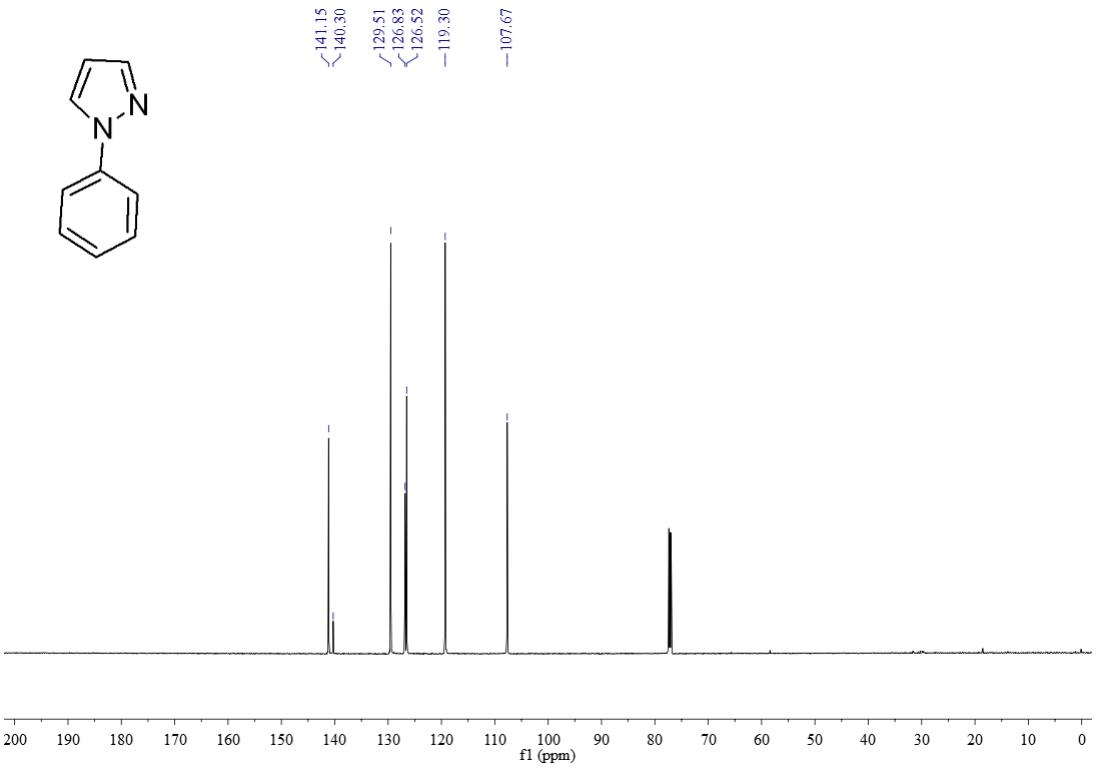
^{13}C NMR of **1k**



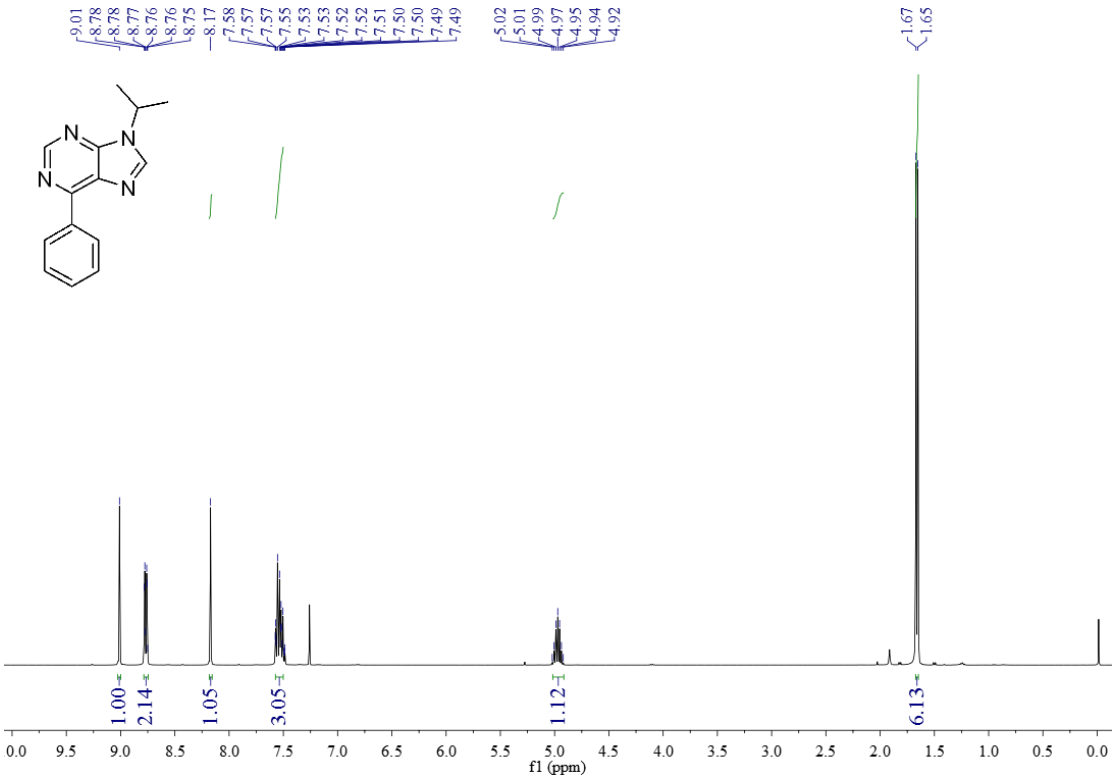
^{1}H NMR of **1l**



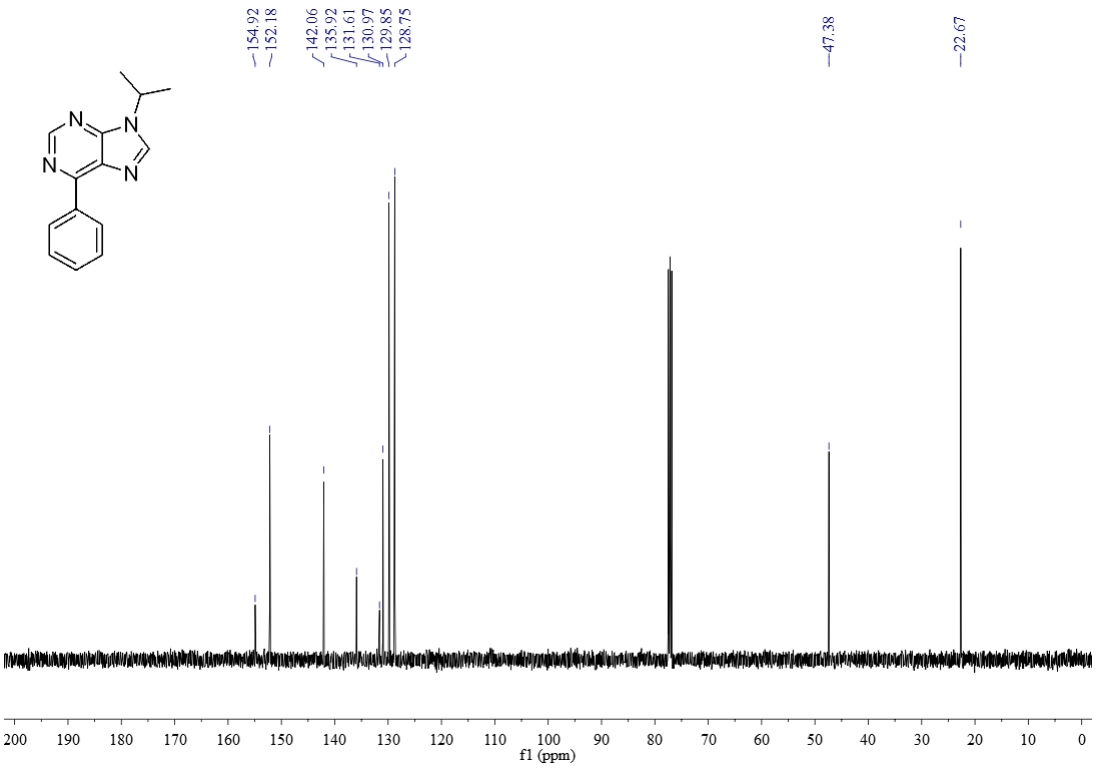
^{13}C NMR of **1l**



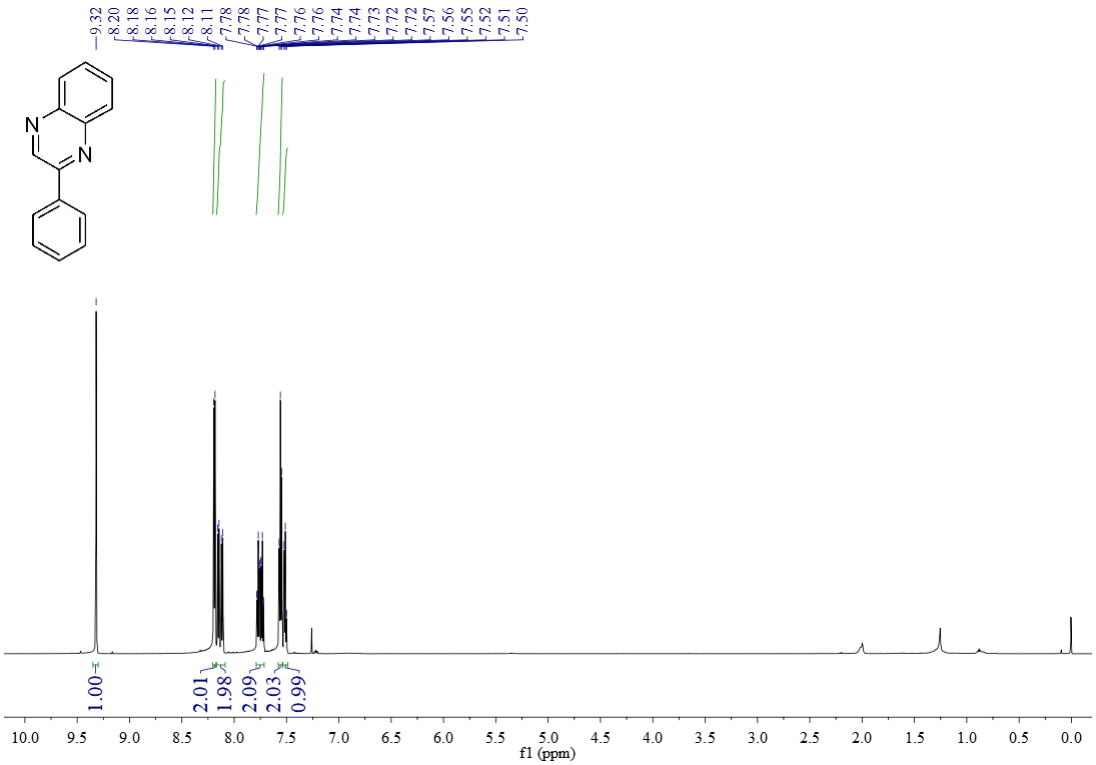
^{1}H NMR of **1m**



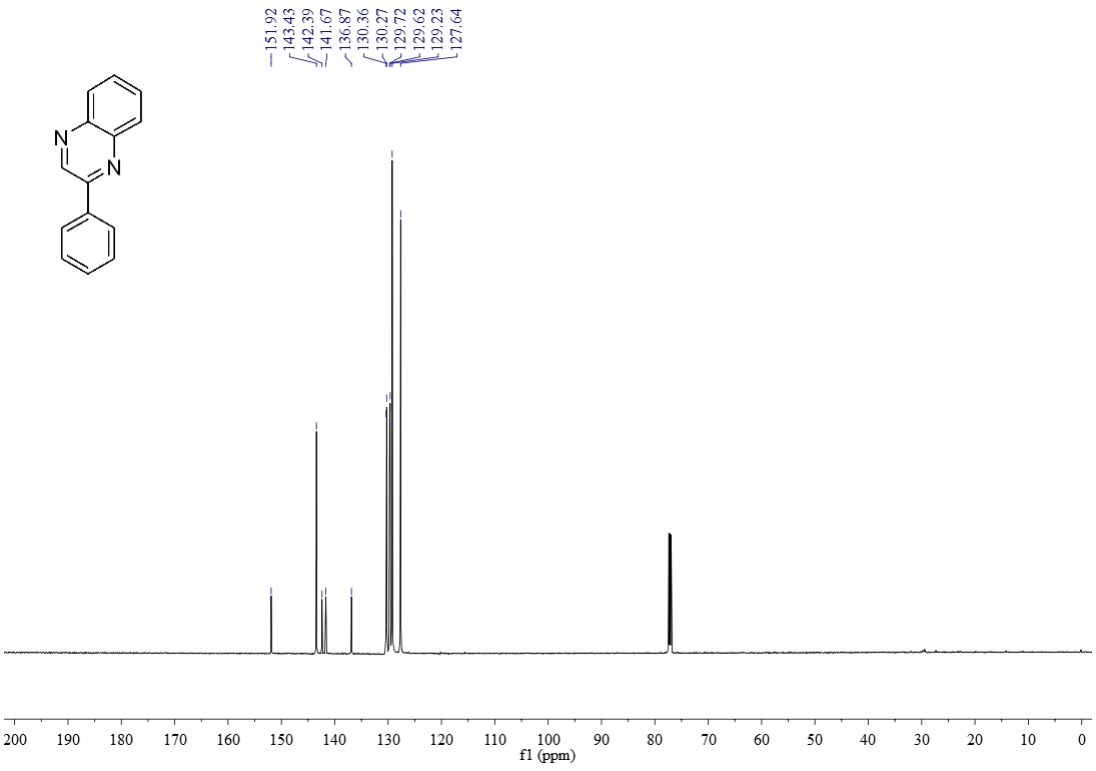
^{13}C NMR of **1m**



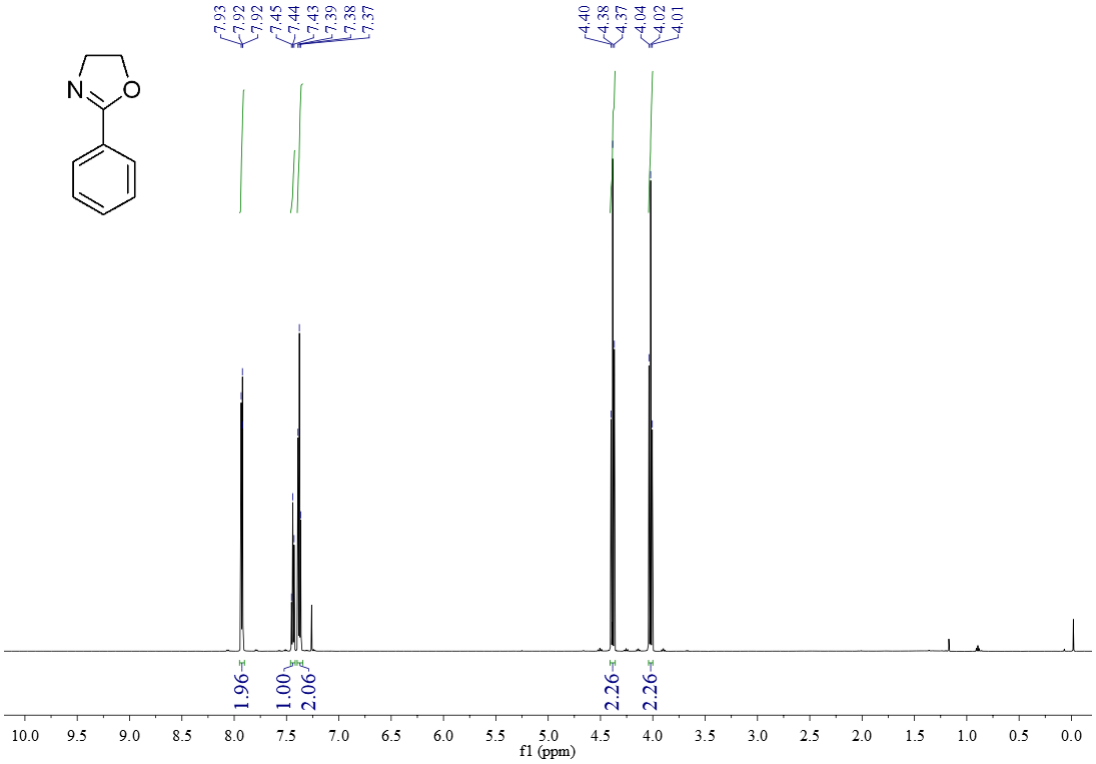
^{1}H NMR of **1n**



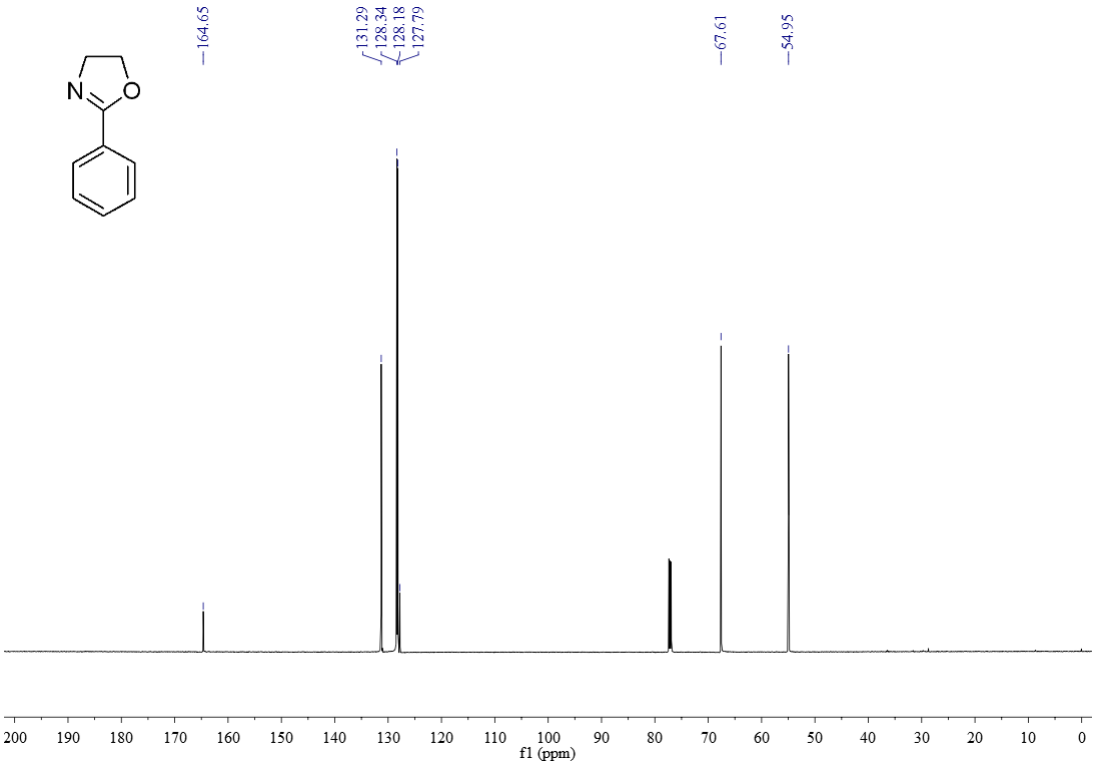
^{13}C NMR of **1n**



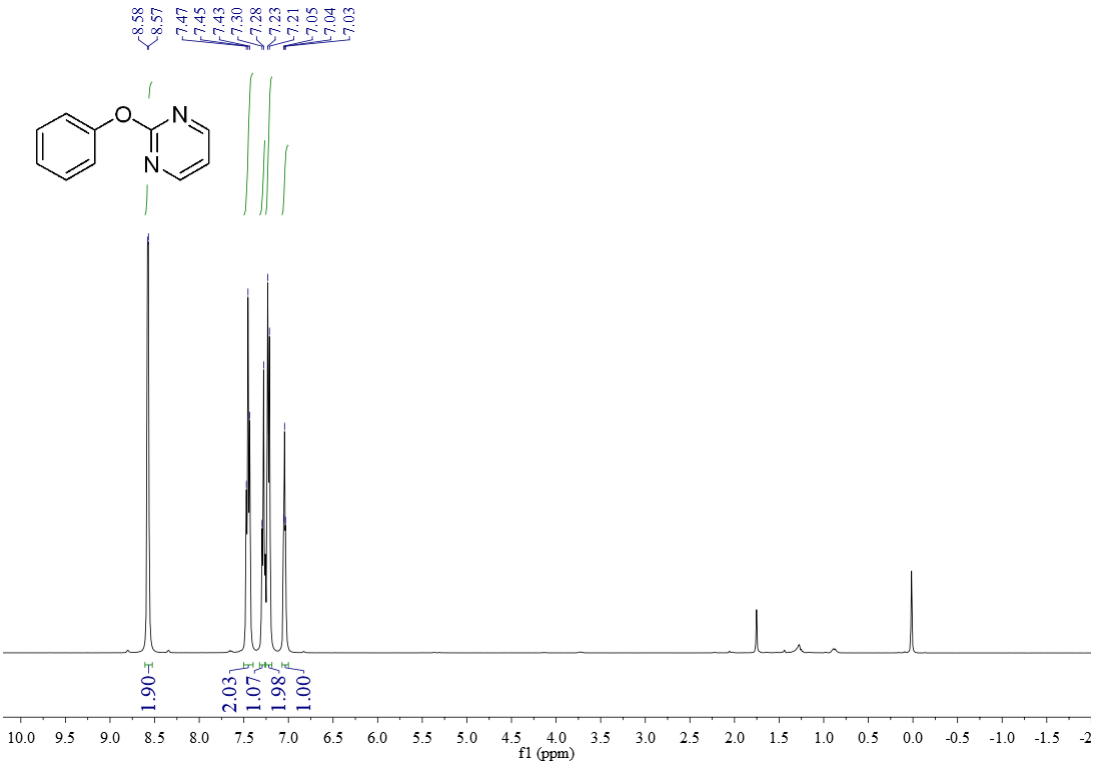
^{1}H NMR of **1o**



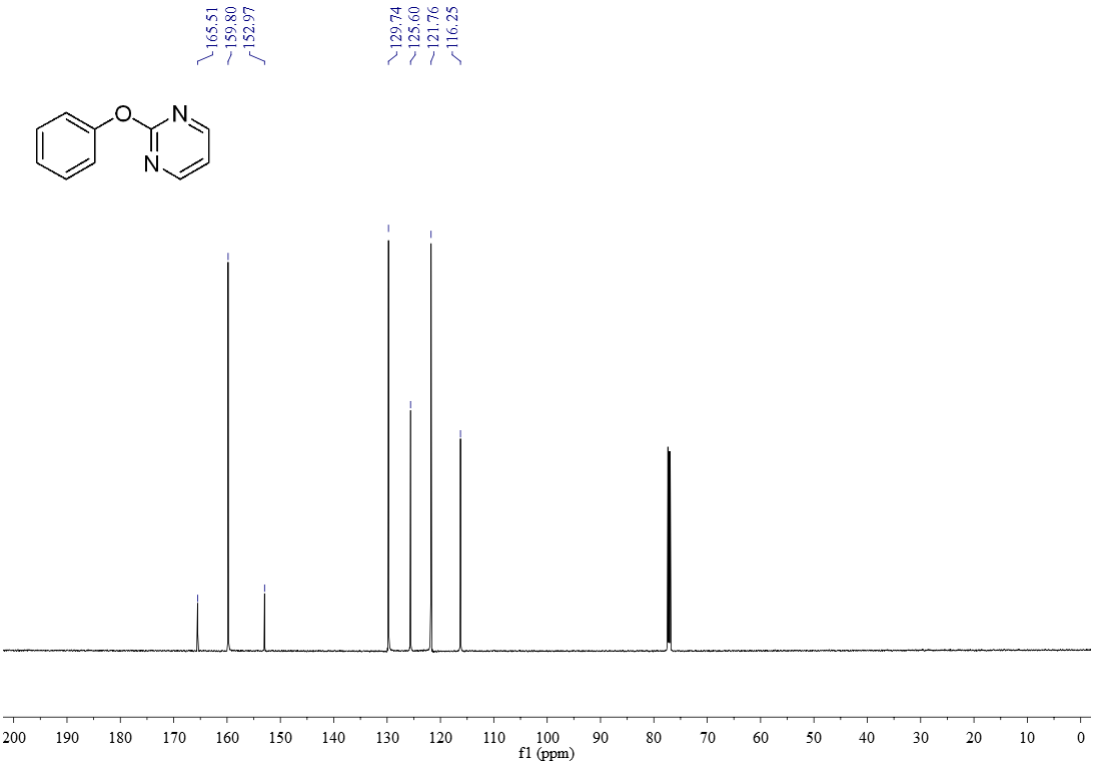
^{13}C NMR of **1o**



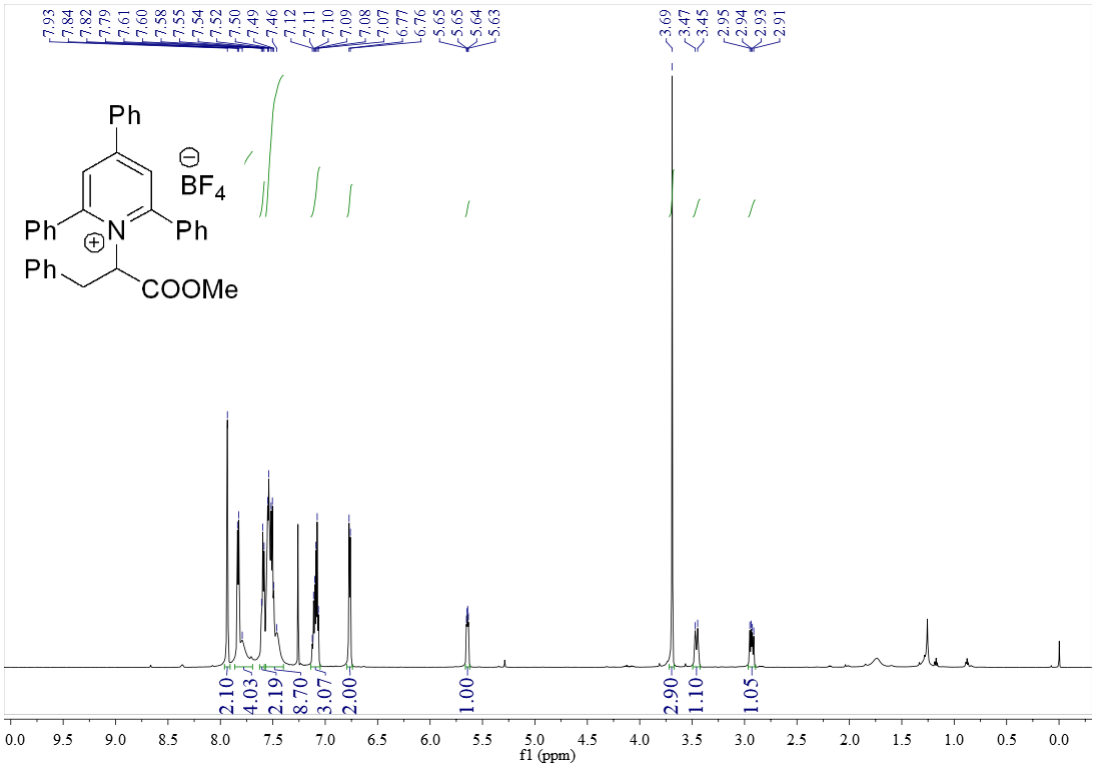
^{1}H NMR of **1p**



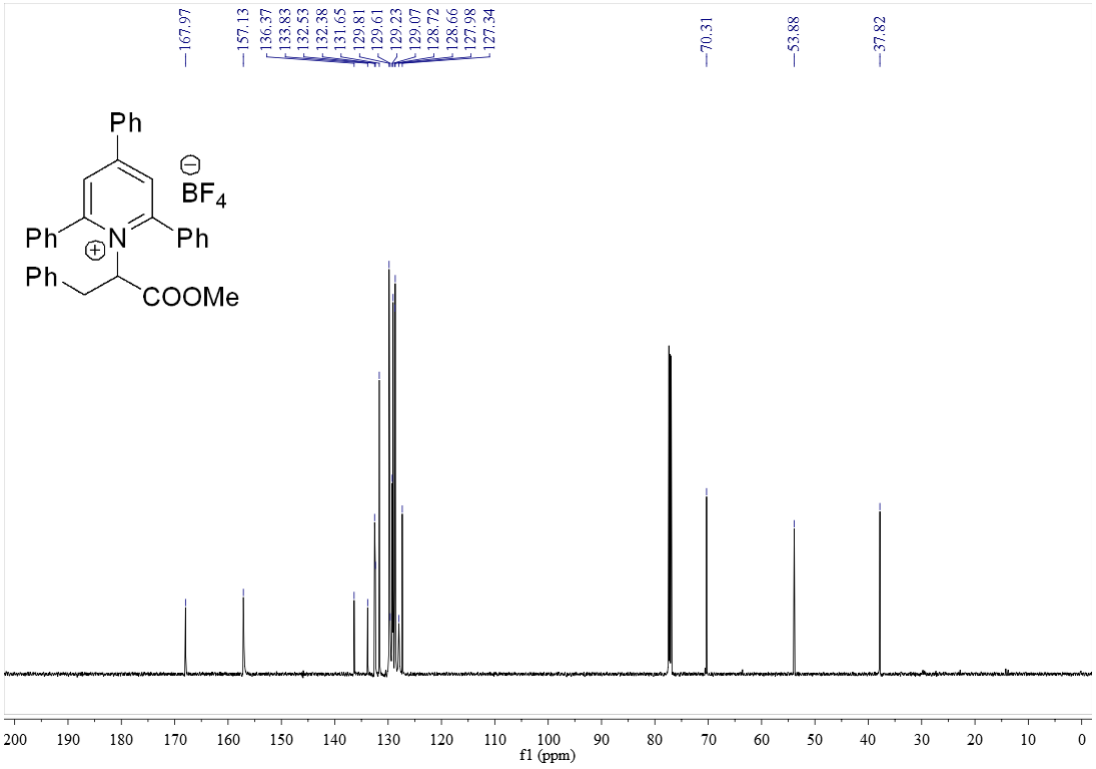
^{13}C NMR of **1p**



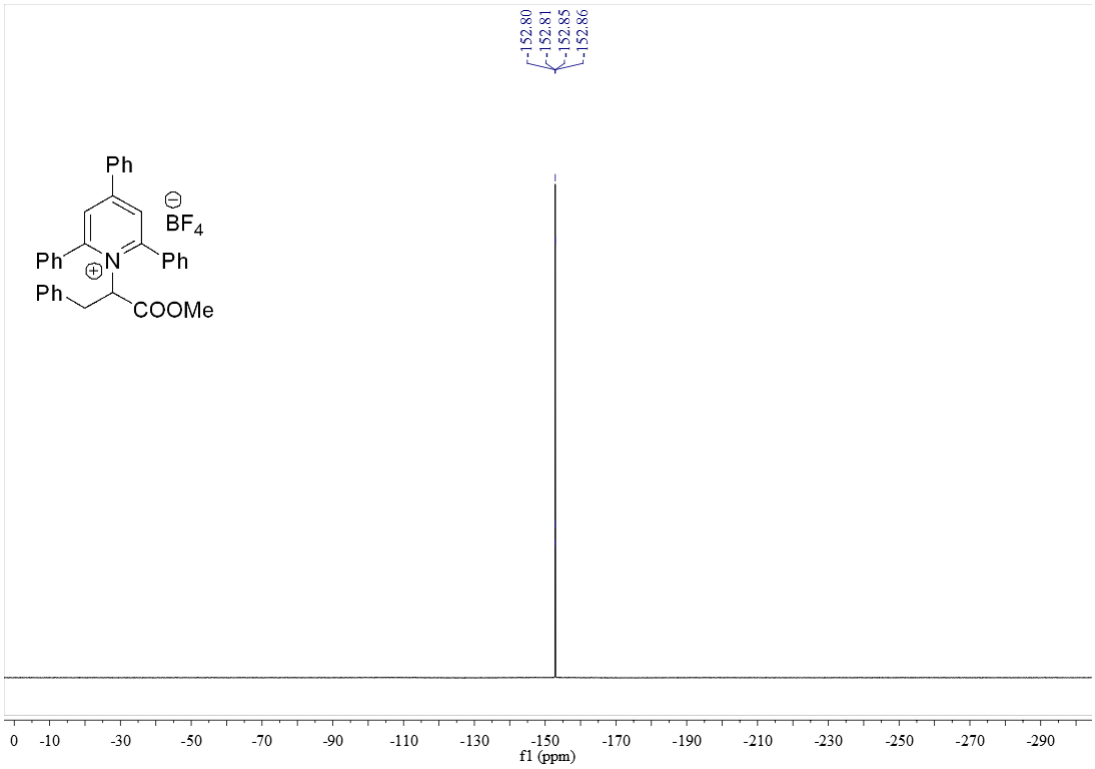
^{1}H NMR of **2a**



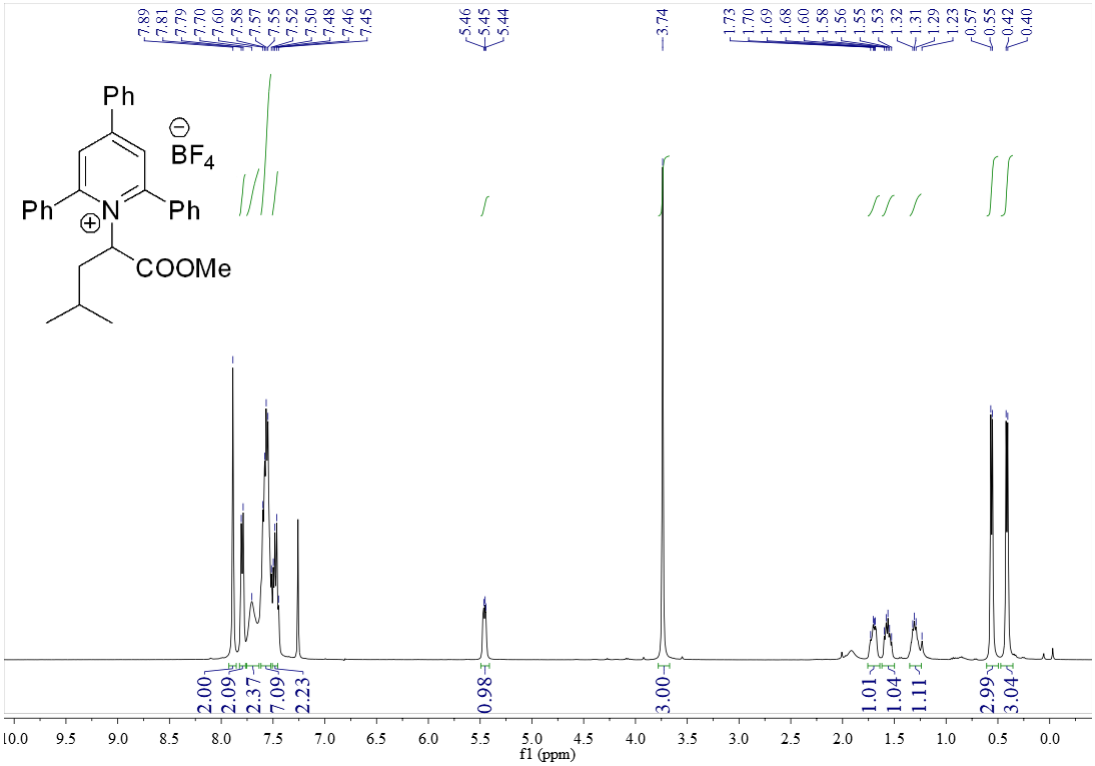
^{13}C NMR of **2a**



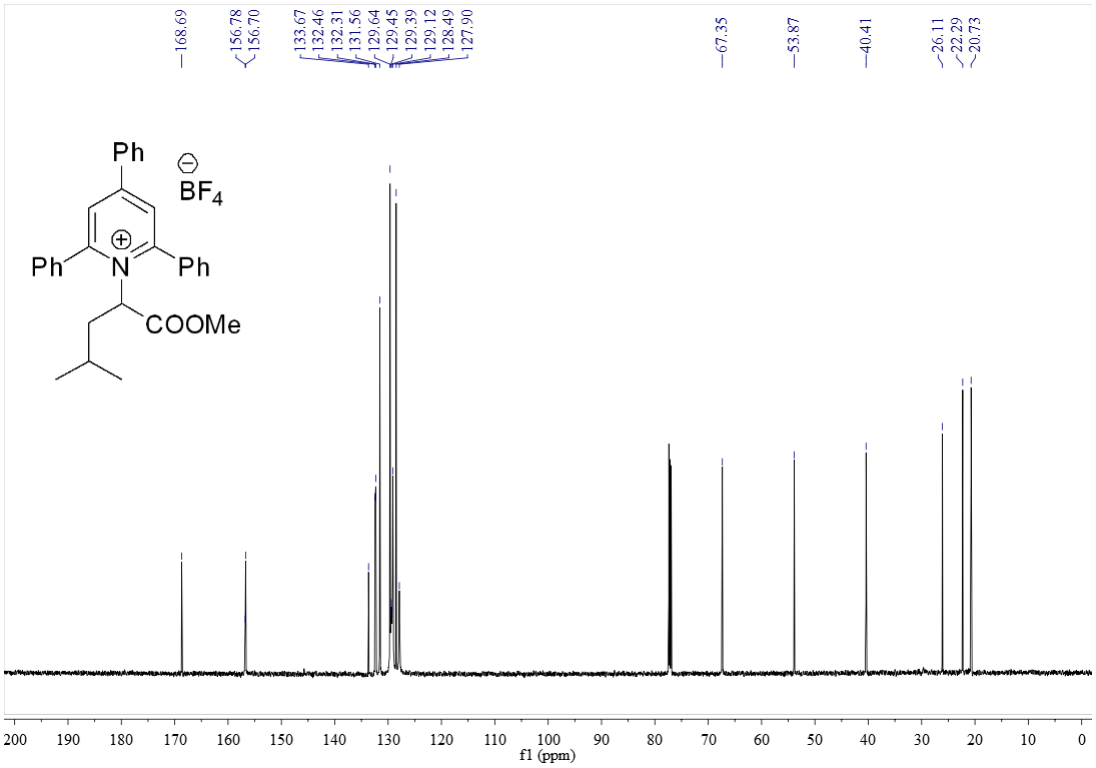
^{19}F NMR of **2a**



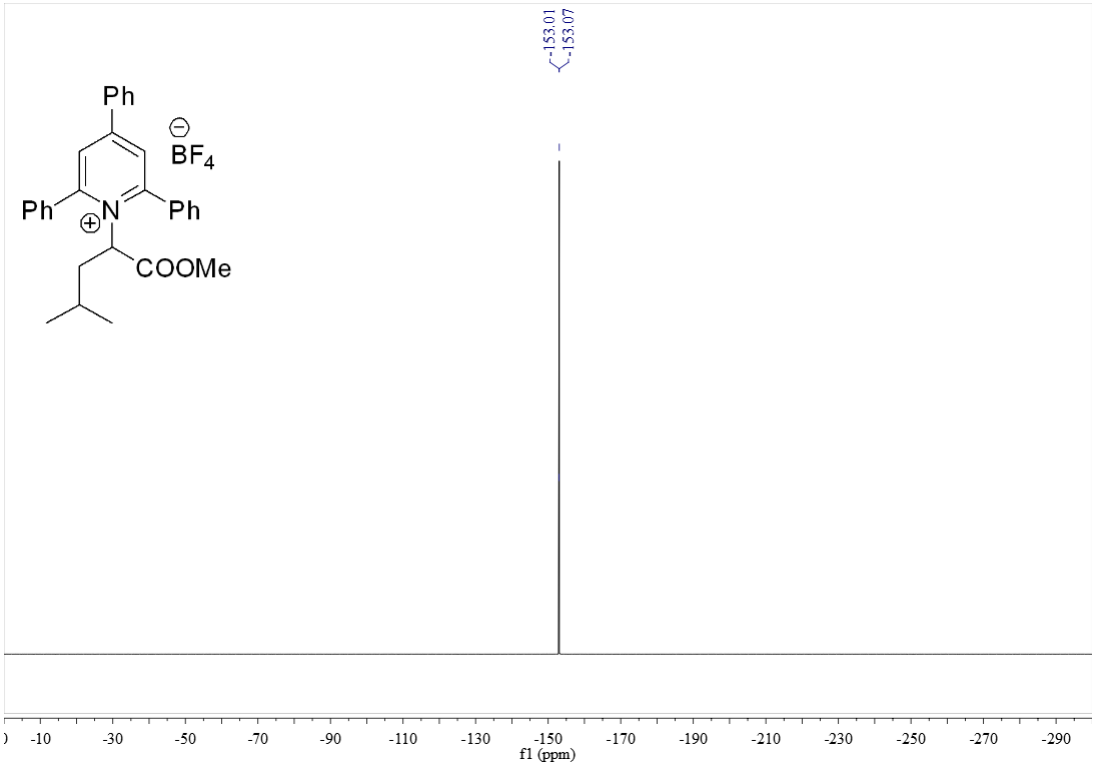
^{1}H NMR of **2b**



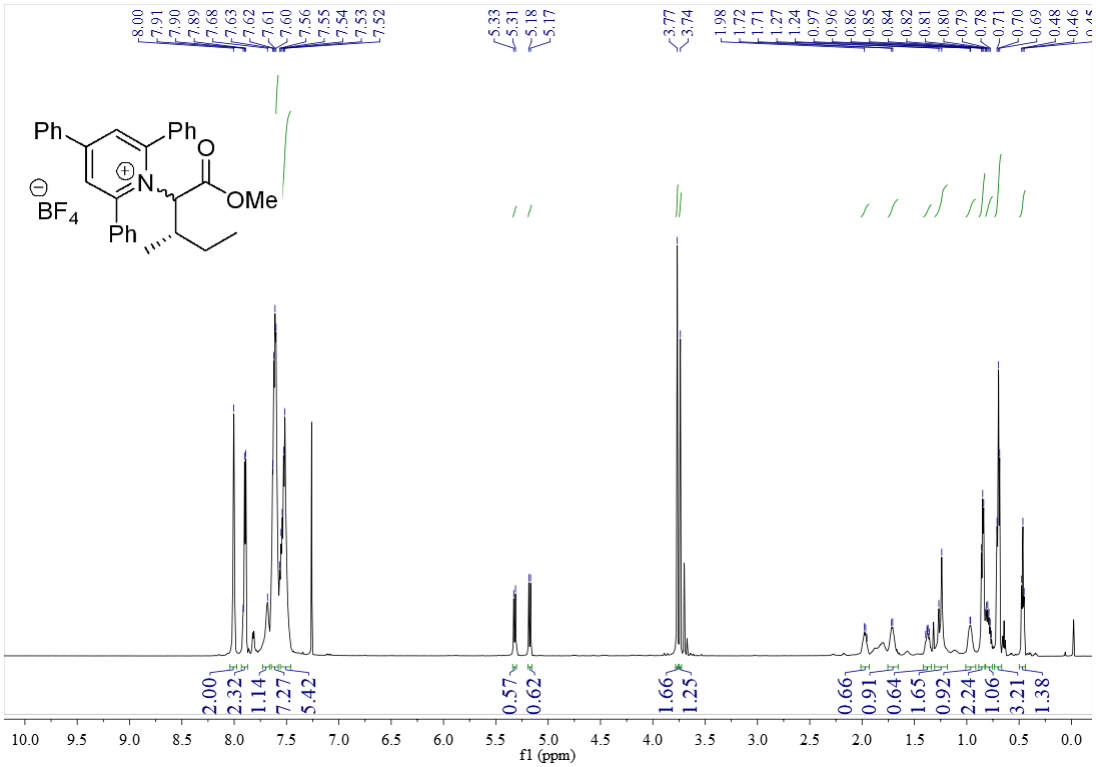
^{13}C NMR of **2b**



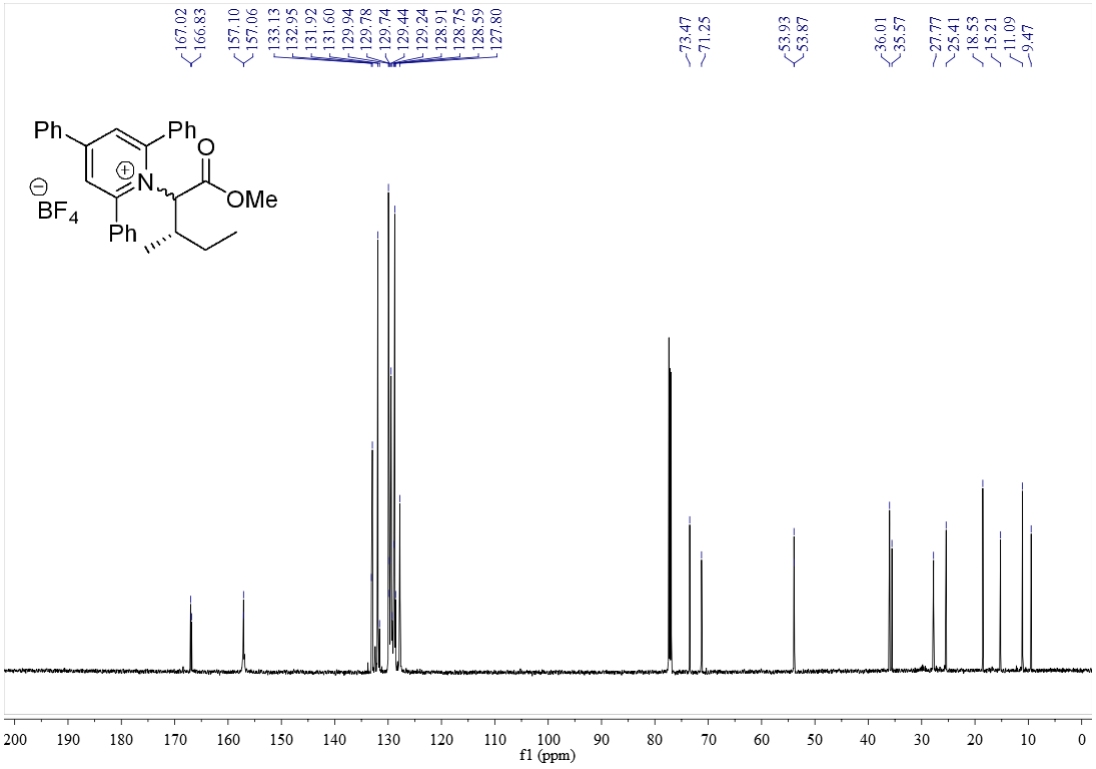
^{19}F NMR of **2b**



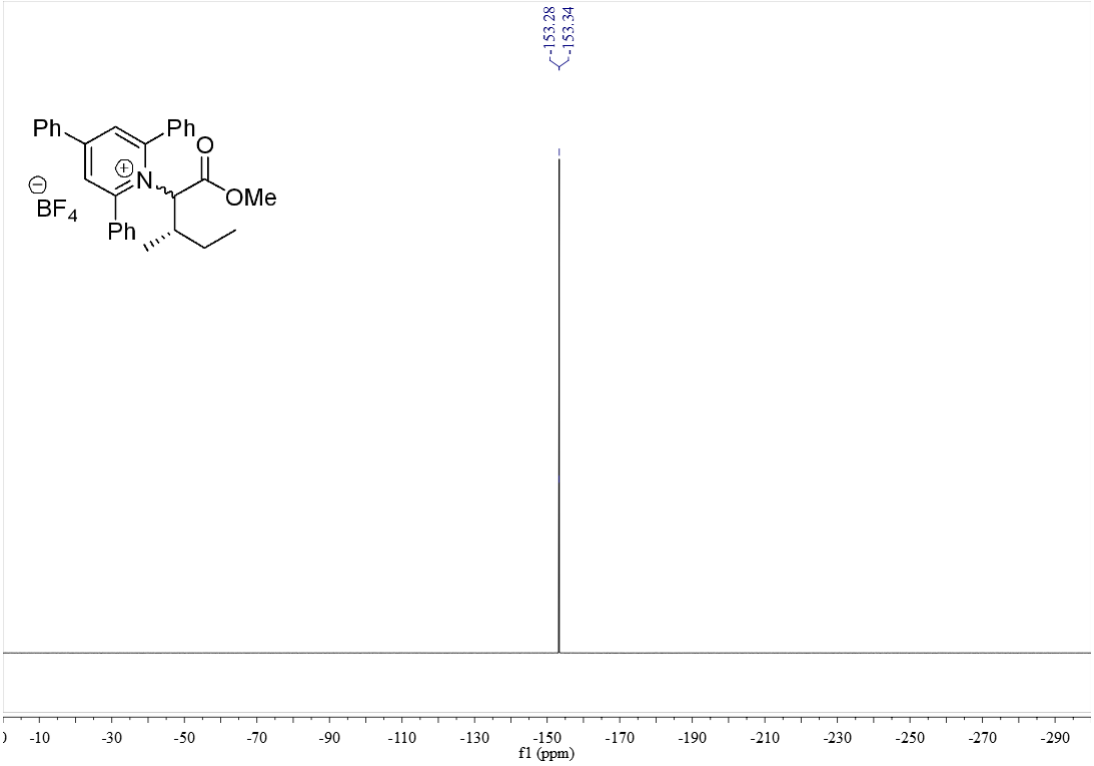
^{1}H NMR of **2c**



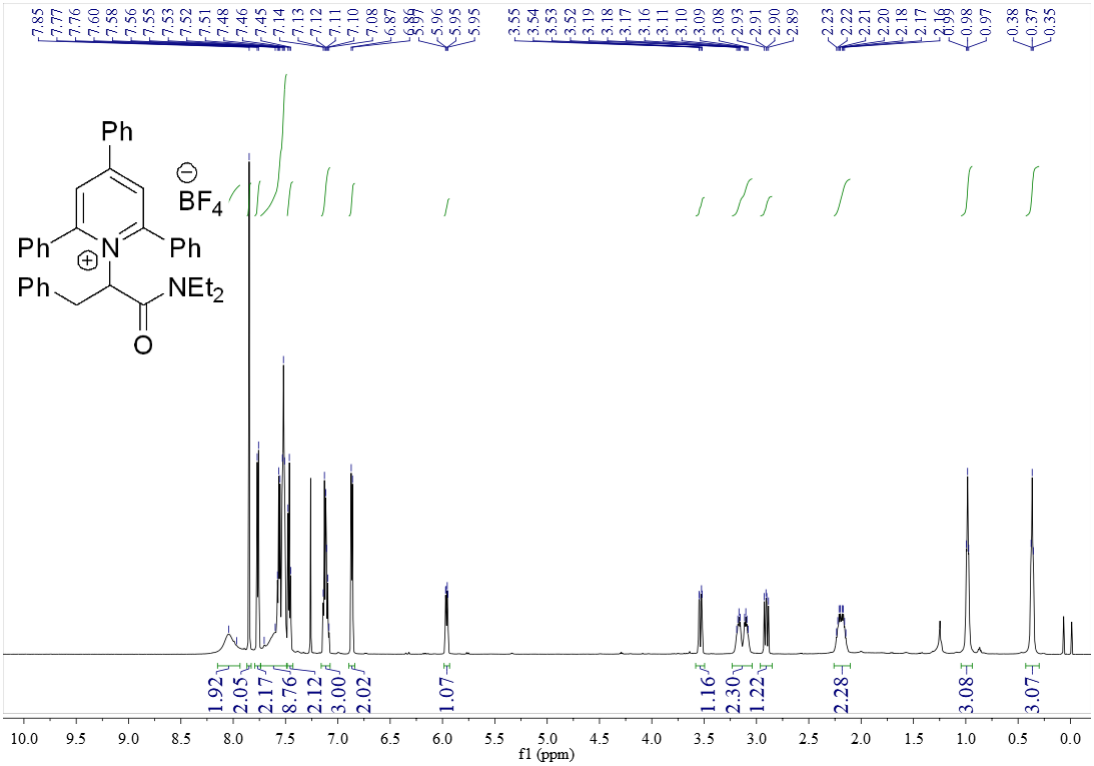
^{13}C NMR of **2c**



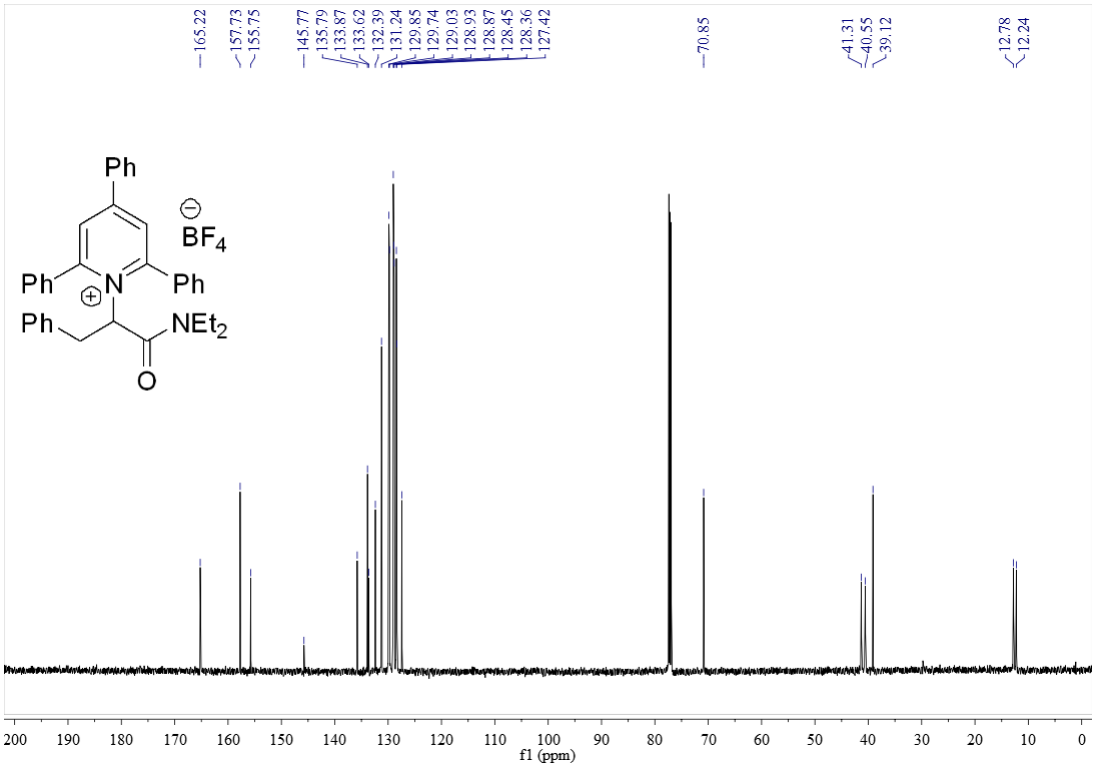
^{19}F NMR of **2c**



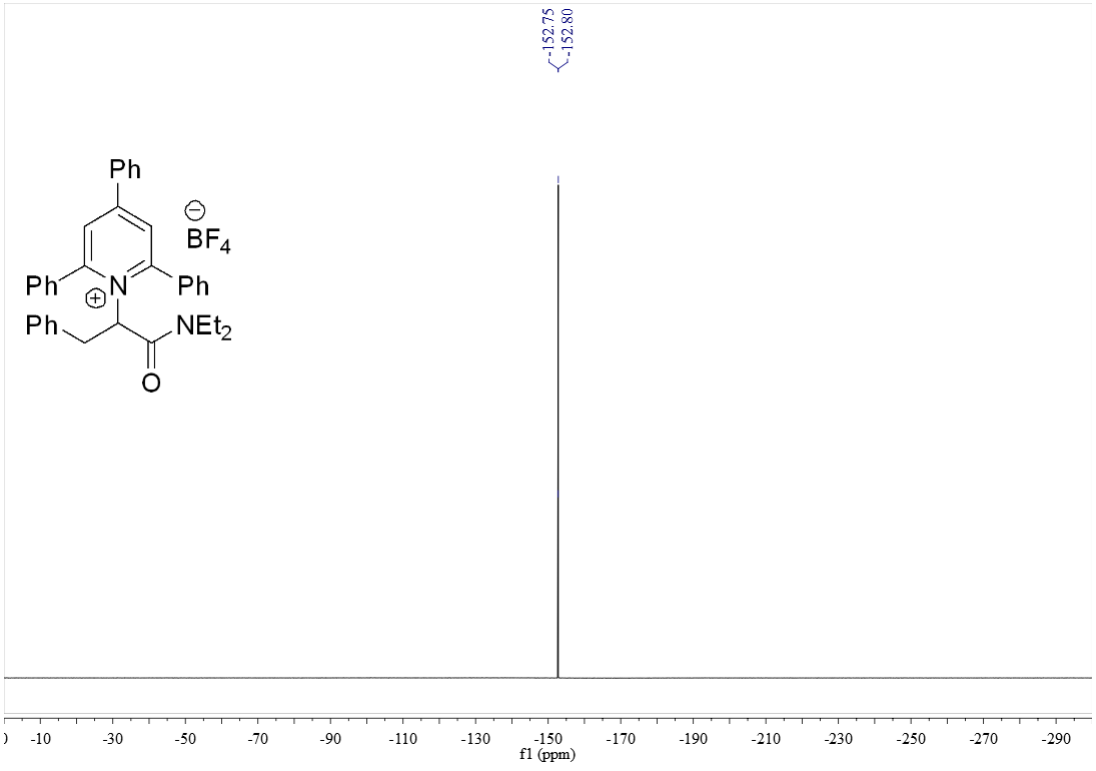
^{1}H NMR of **2d**



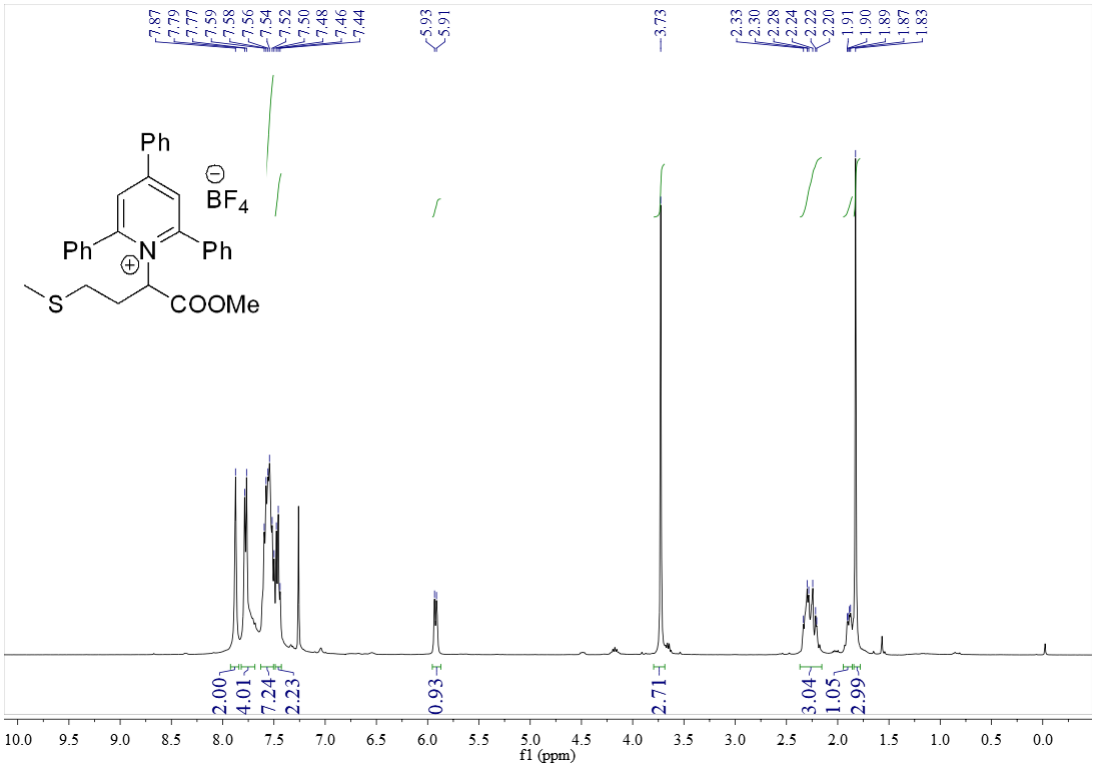
^{13}C NMR of **2d**



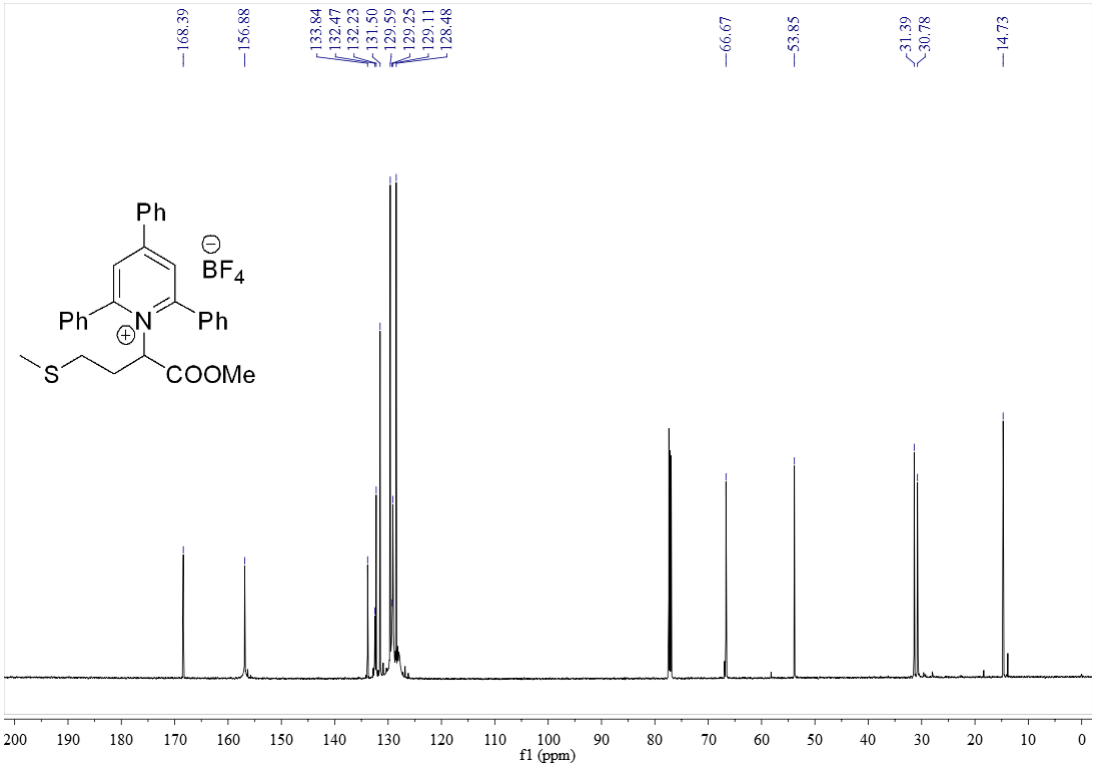
^{19}F NMR of **2d**



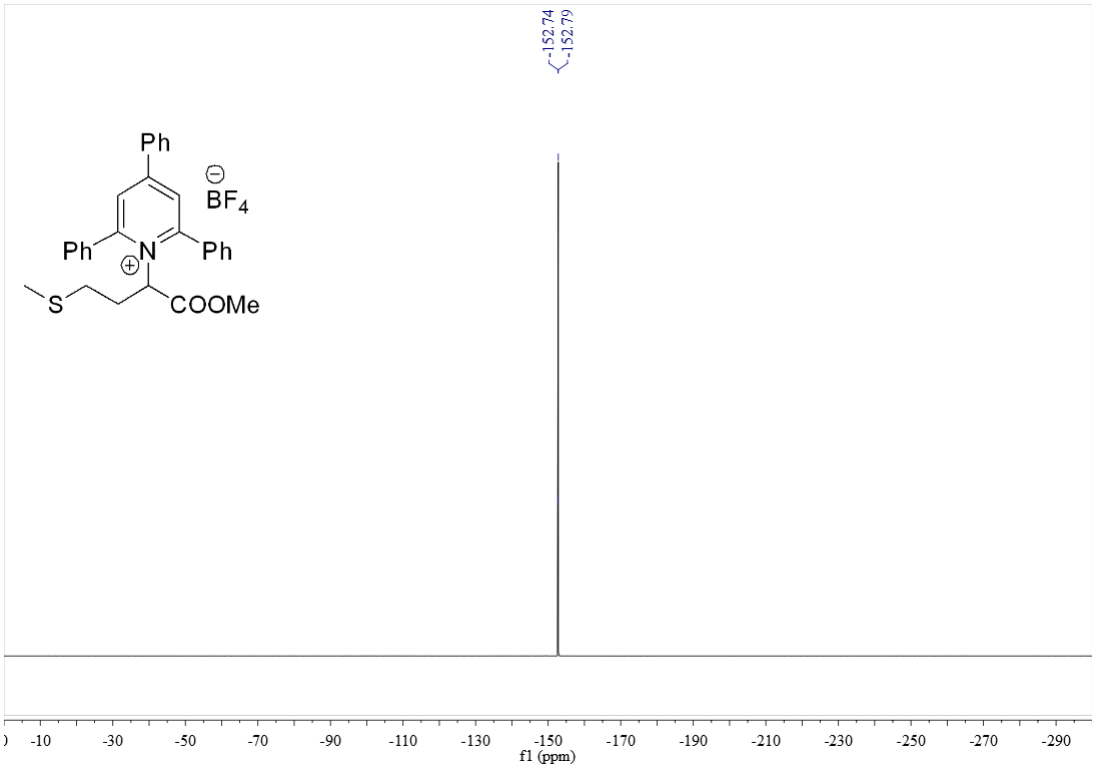
^{1}H NMR of **2e**



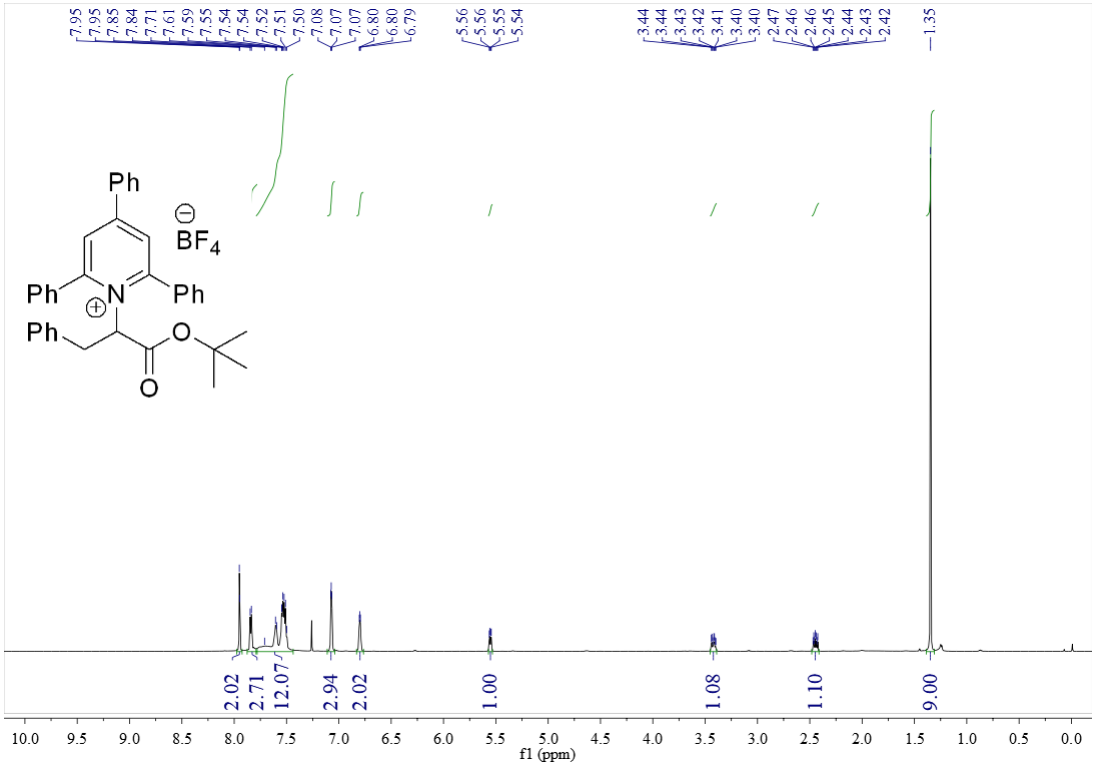
^{13}C NMR of **2e**



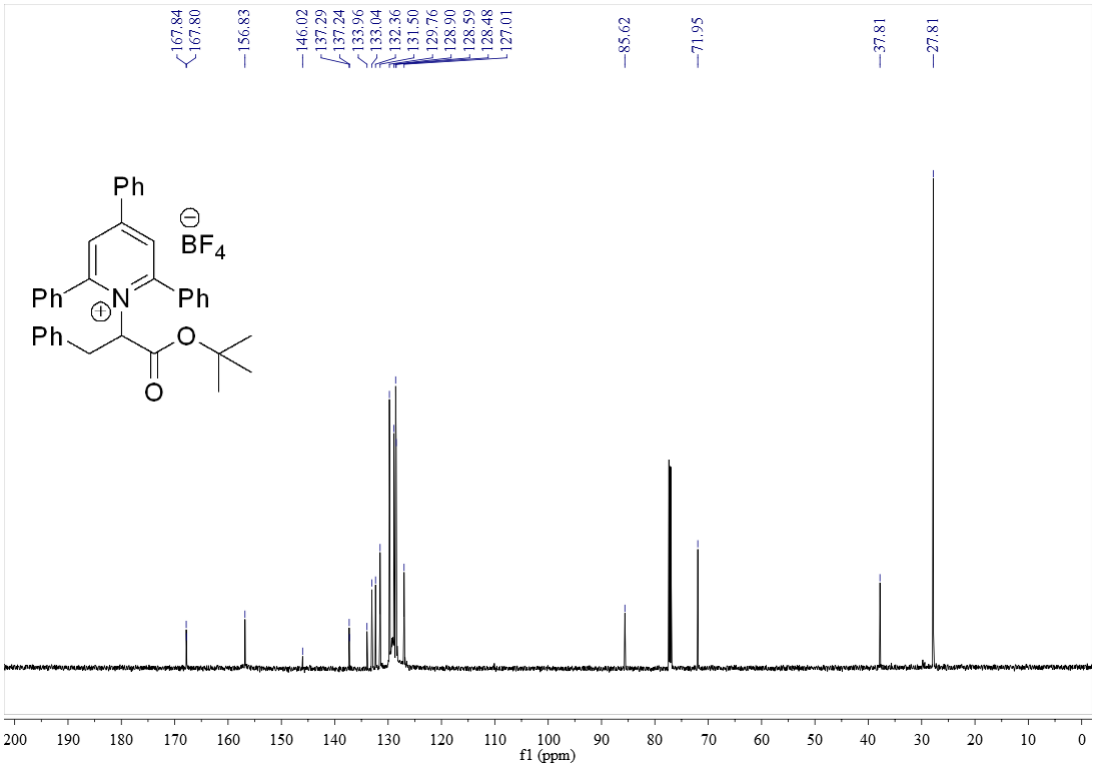
^{19}F NMR of **2e**



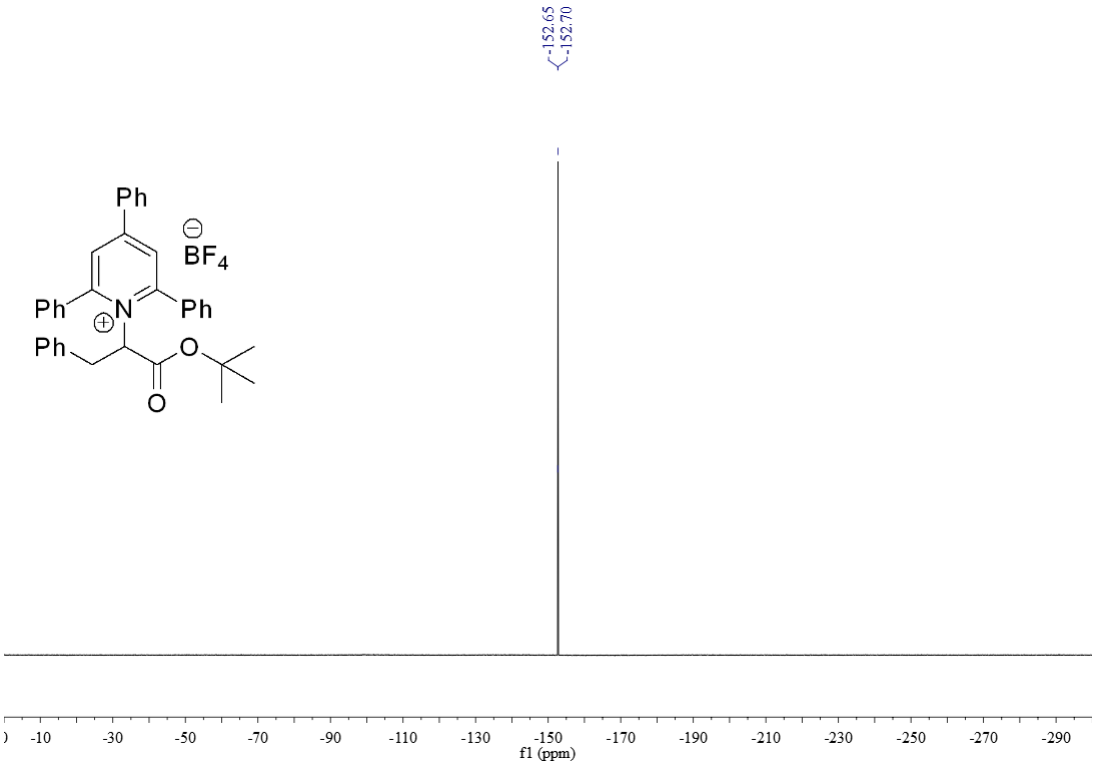
^{1}H NMR of **2f**



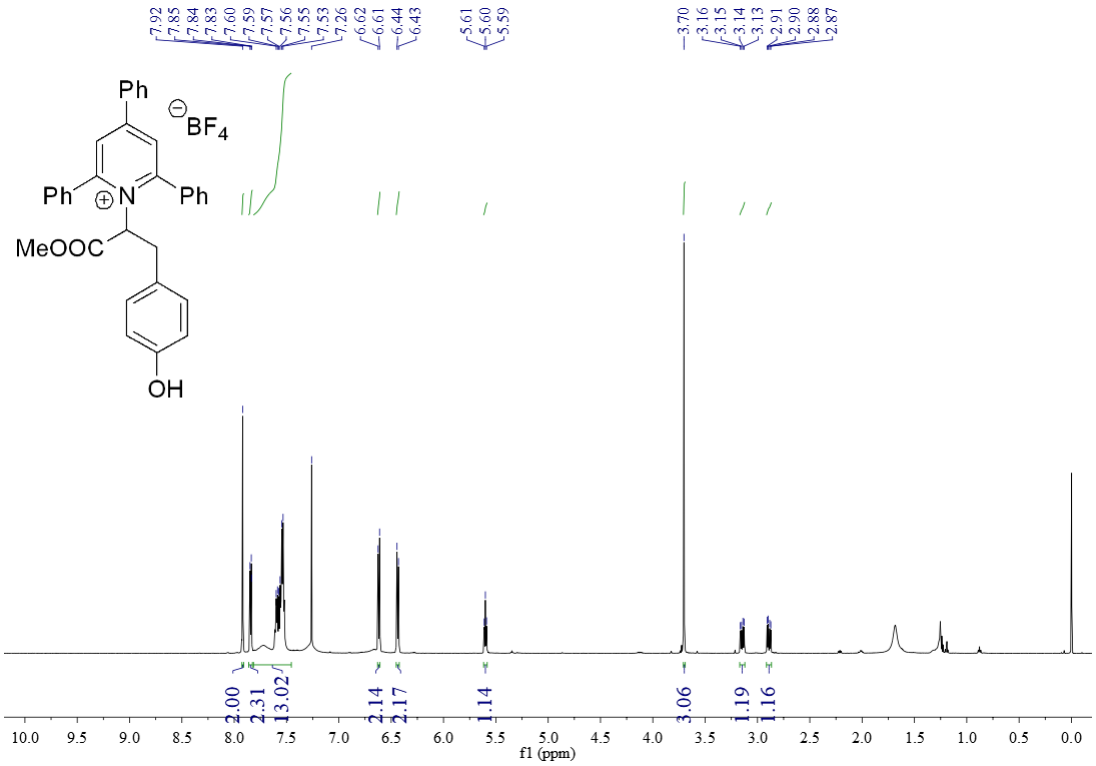
^{13}C NMR of **2f**



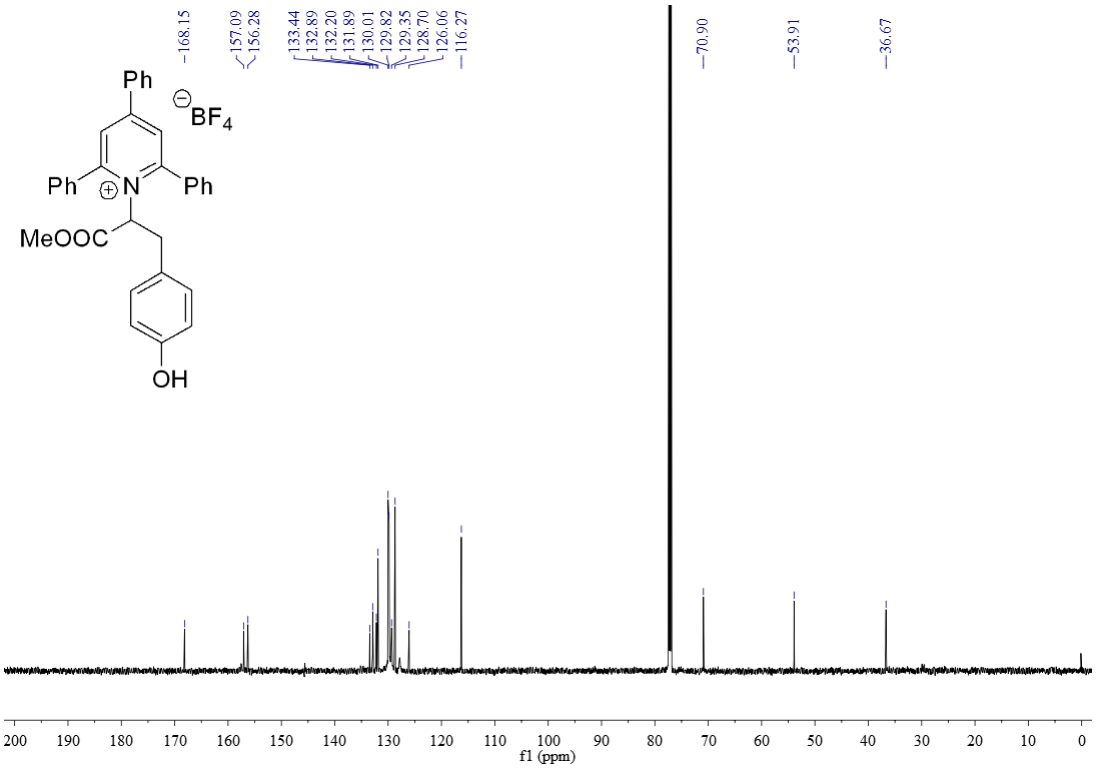
^{19}F NMR of **2f**



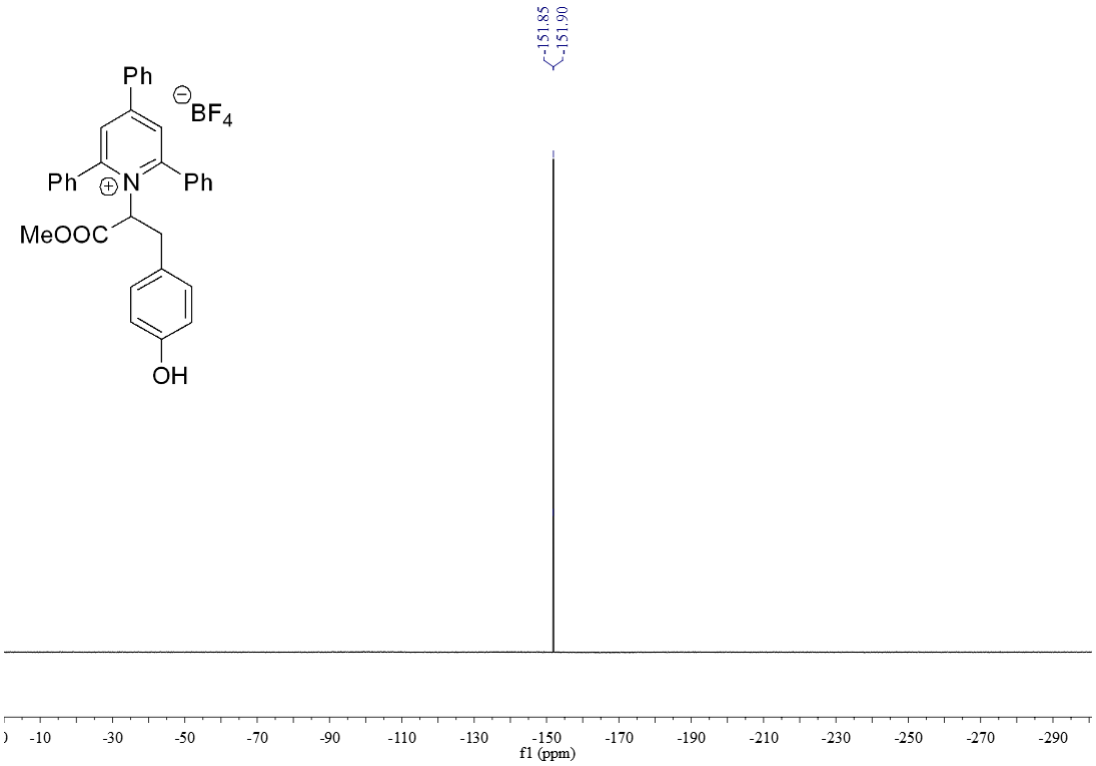
^{1}H NMR of **2g**



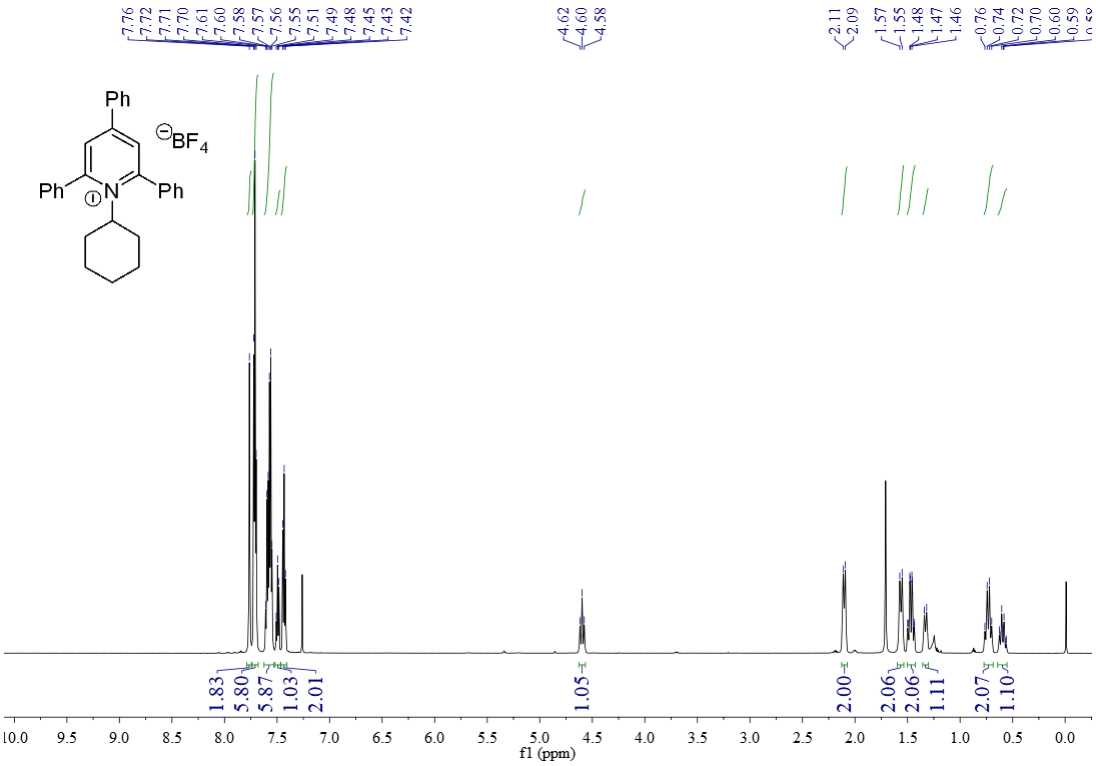
^{13}C NMR of **2g**



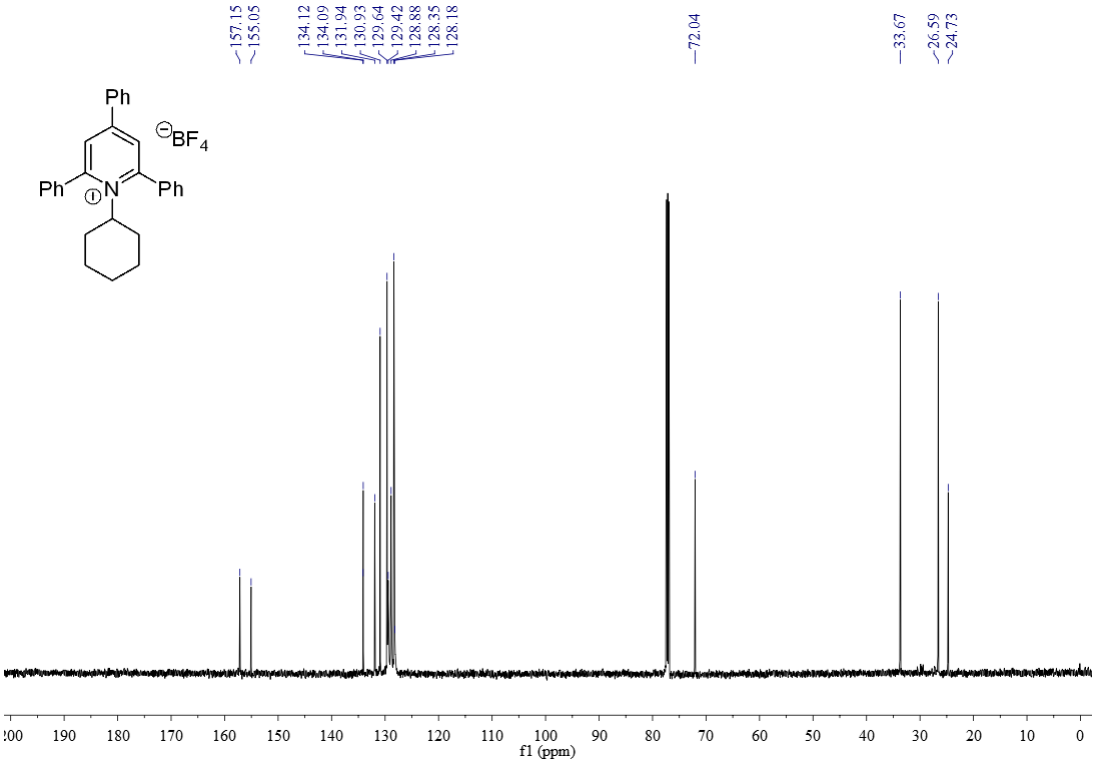
^{19}F NMR of **2g**



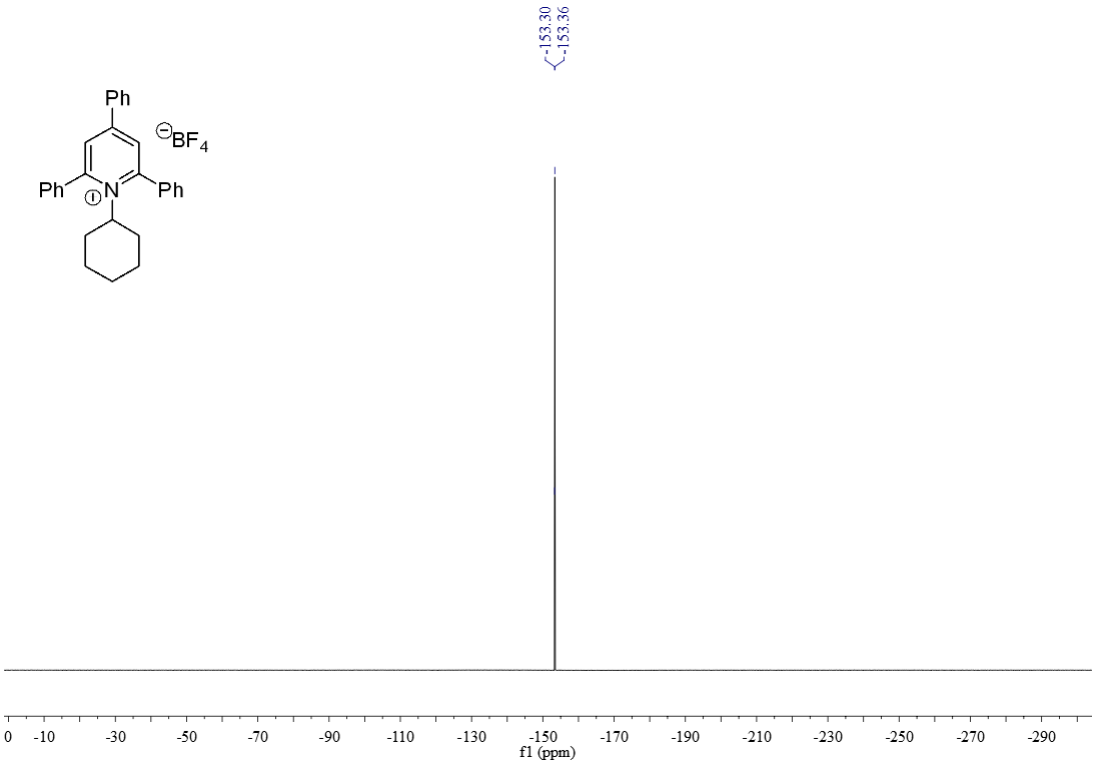
^{1}H NMR of **2h**



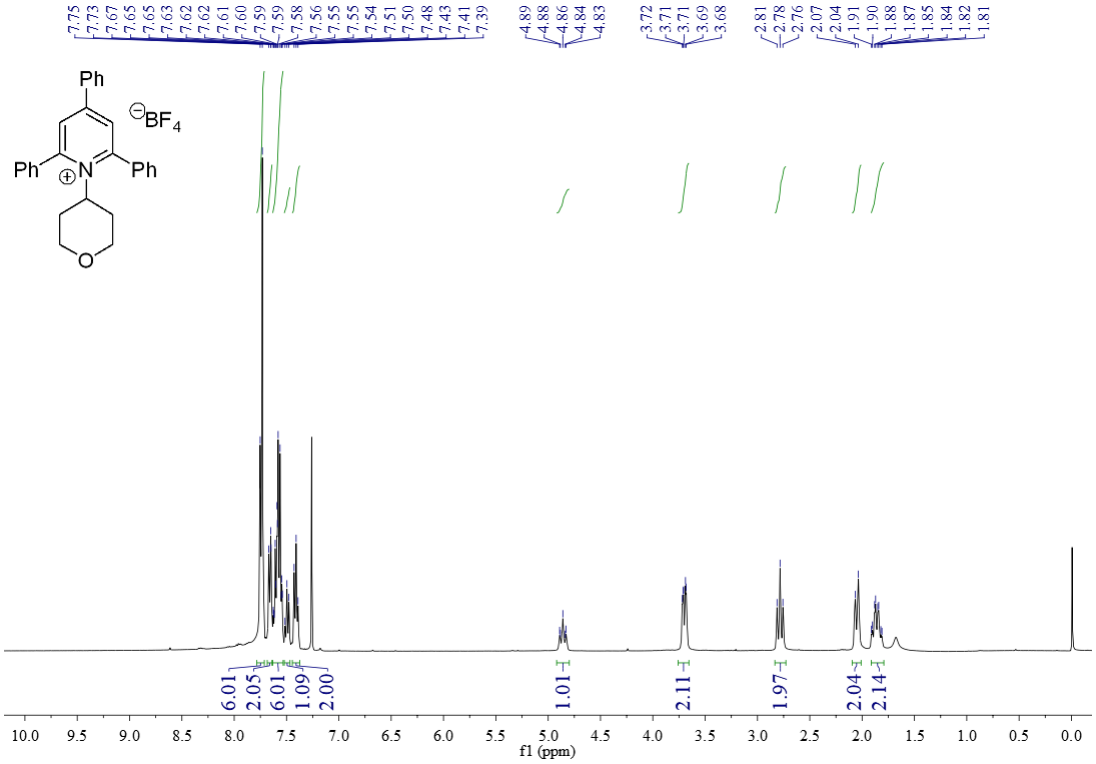
^{13}C NMR of **2h**



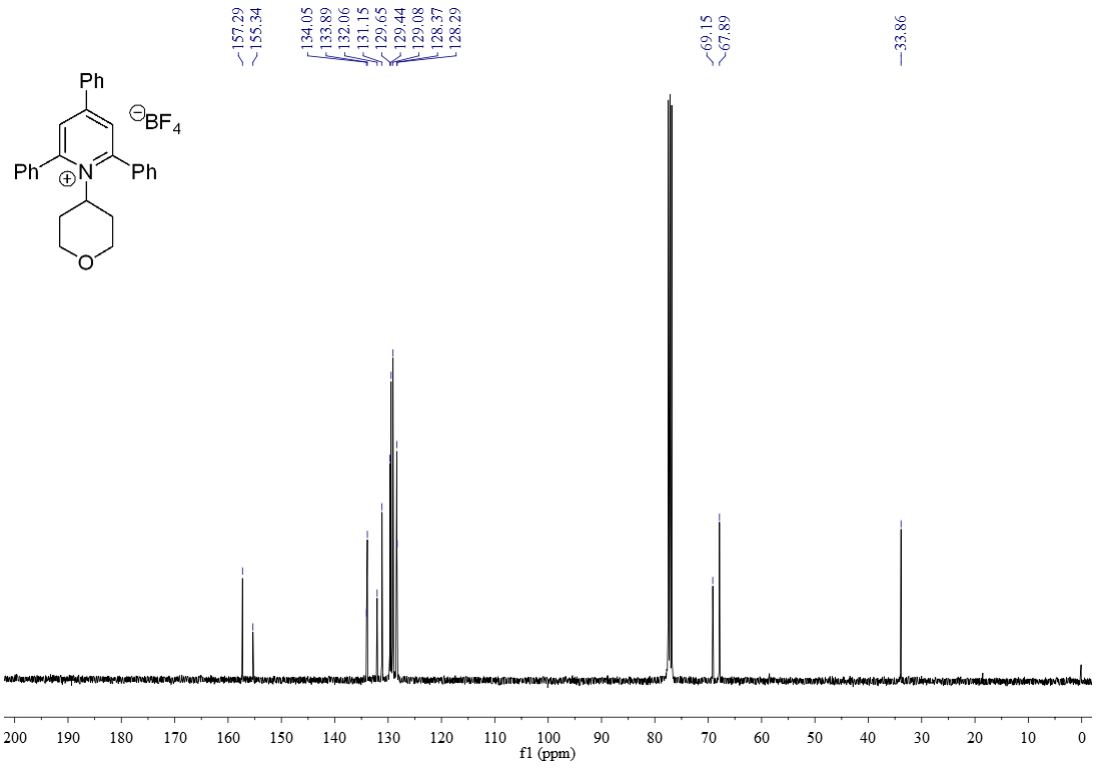
^{19}F NMR of **2h**



^{1}H NMR of **2i**



^{13}C NMR of **2i**



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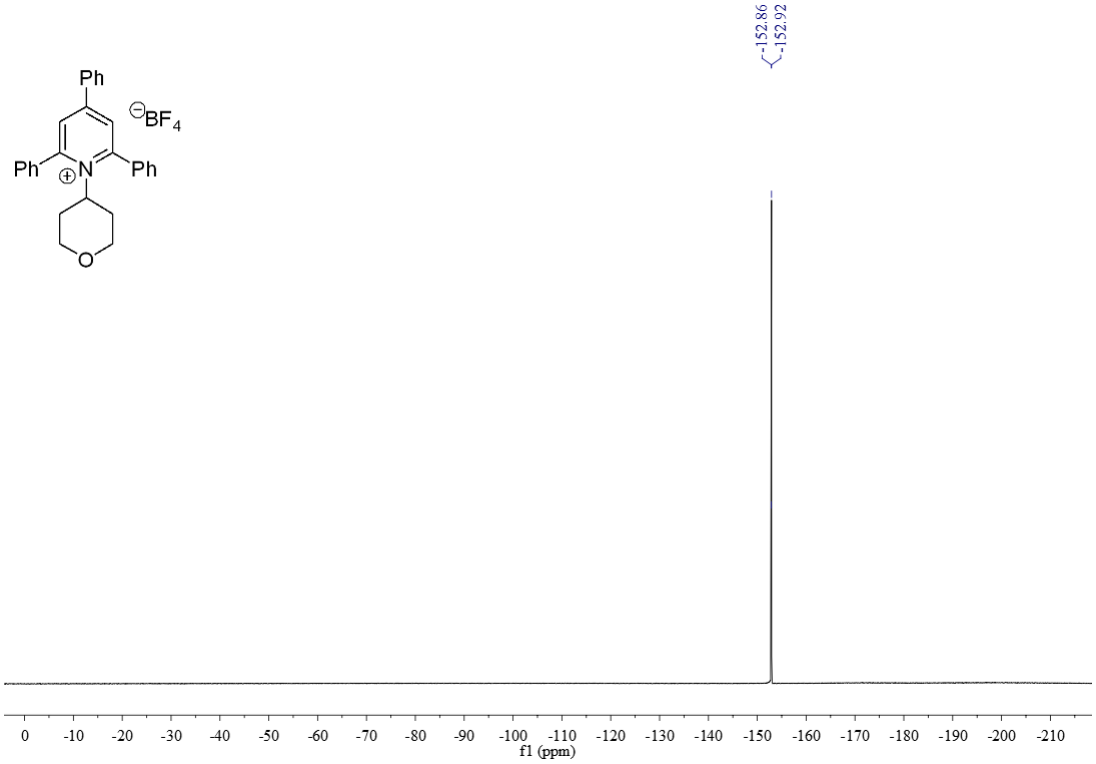

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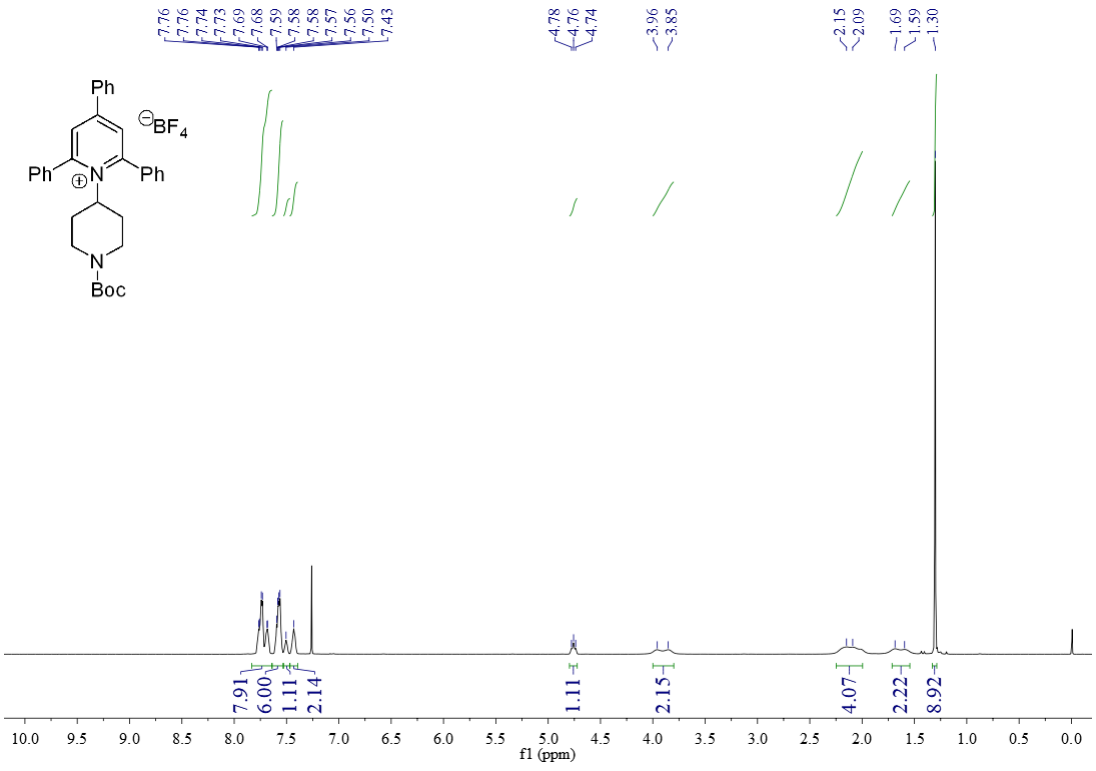

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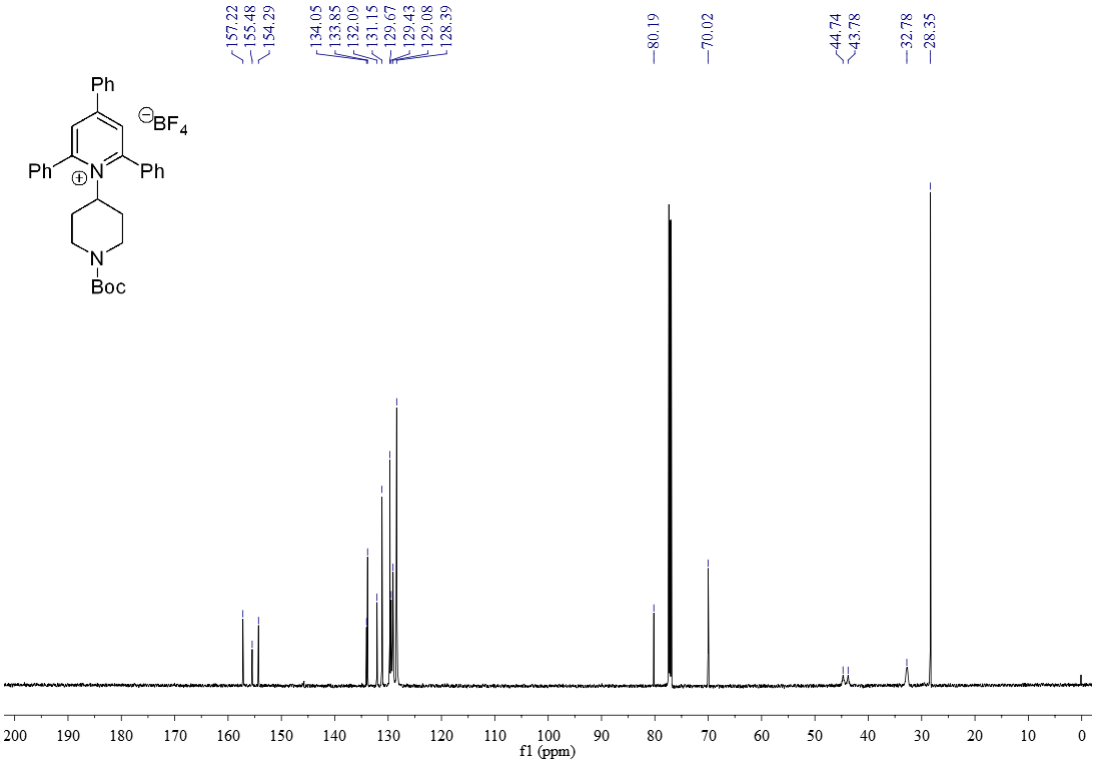
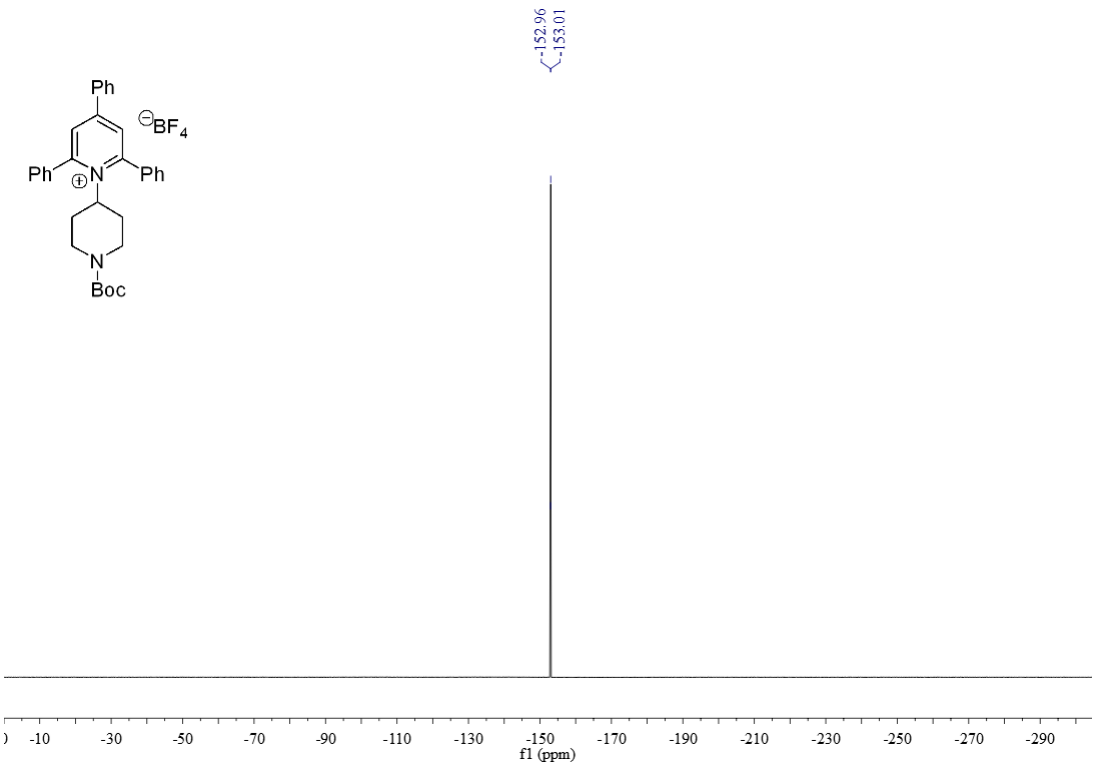
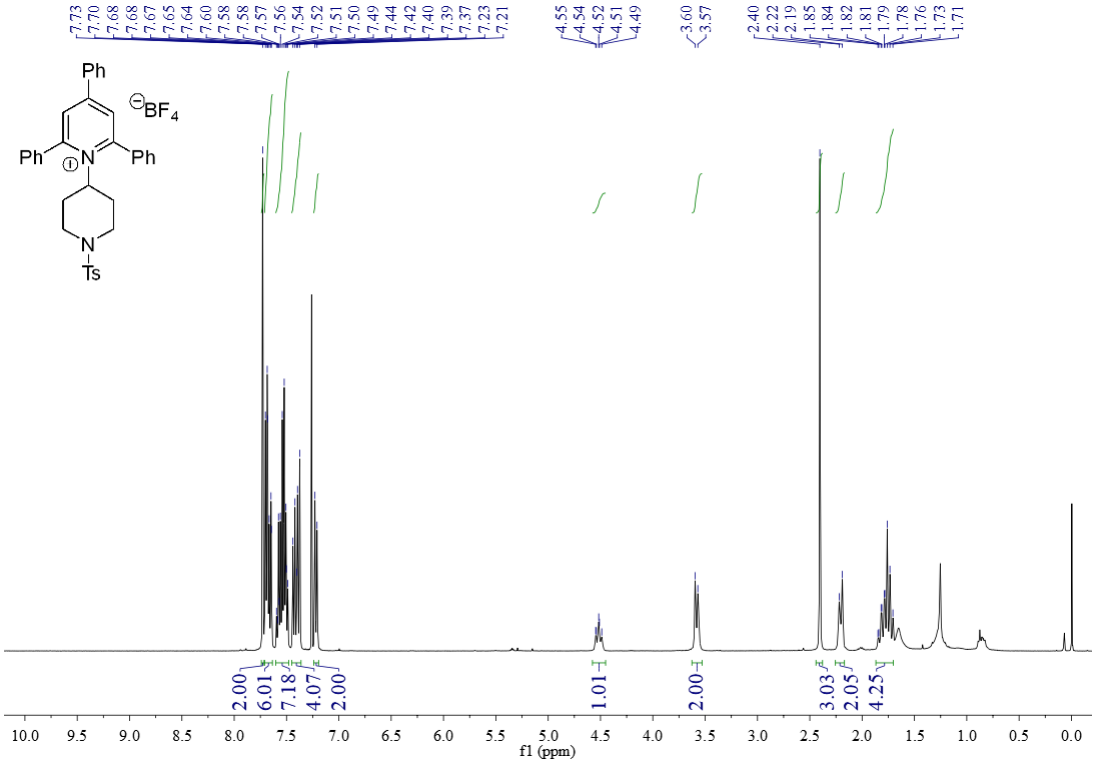


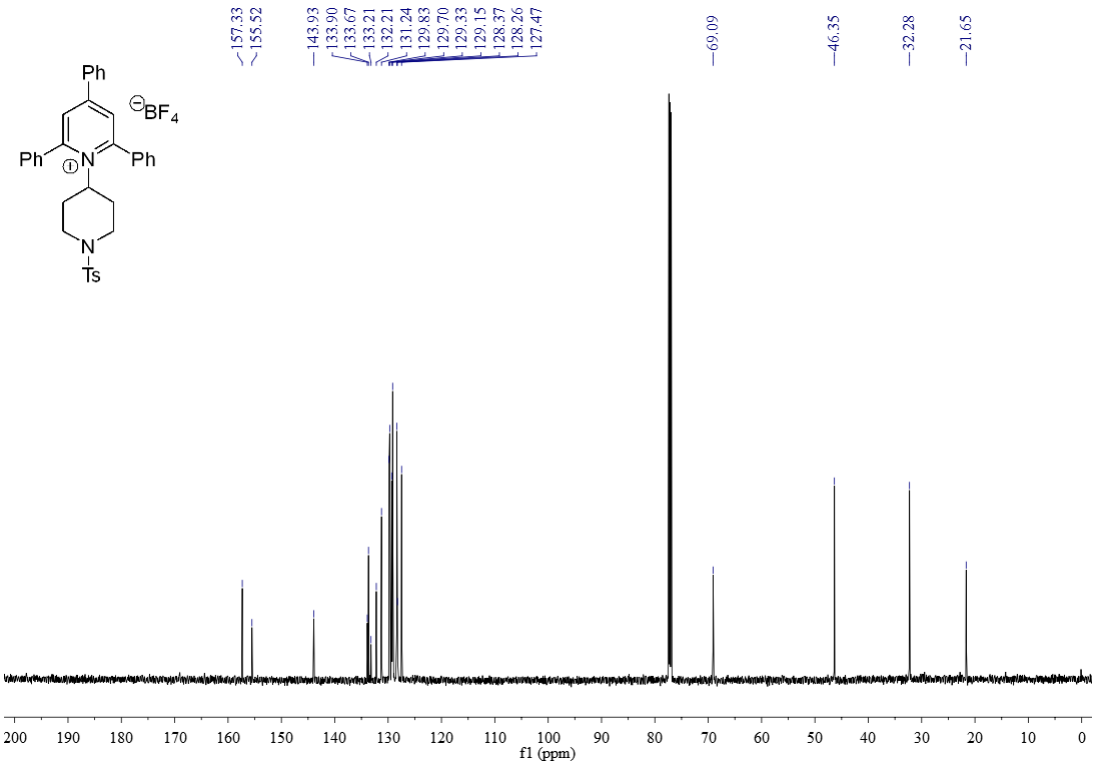
^{19}F NMR of **2j**



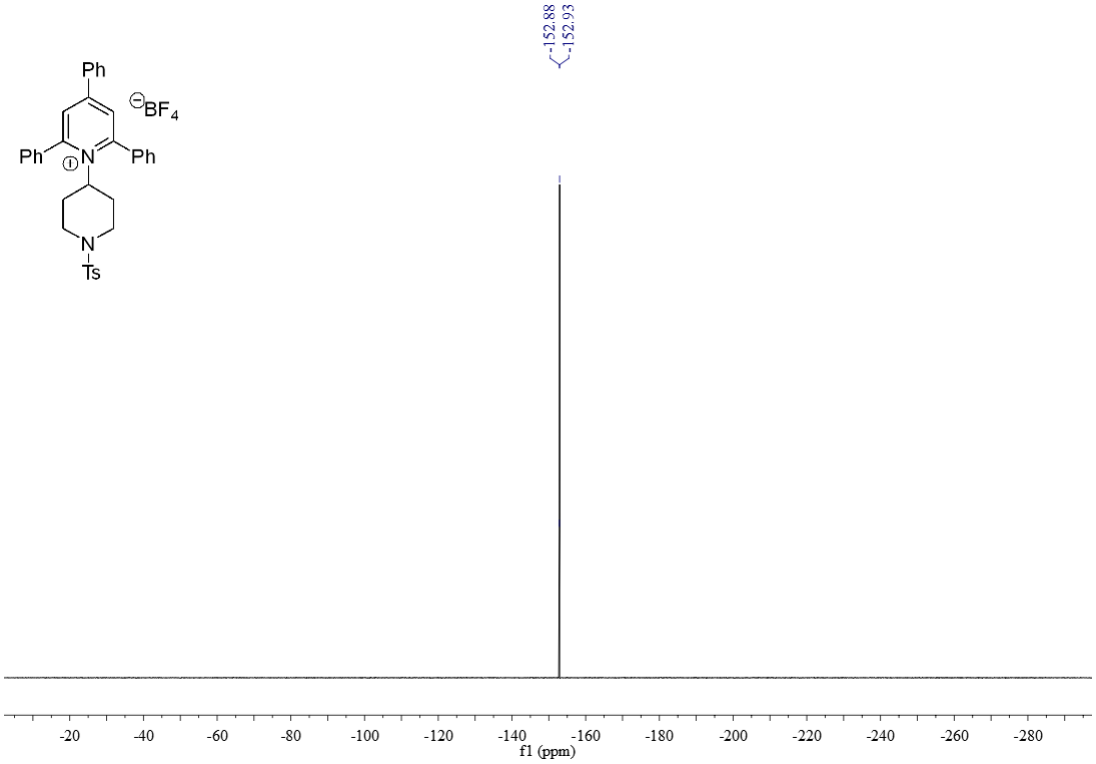
^{1}H NMR of **2k**



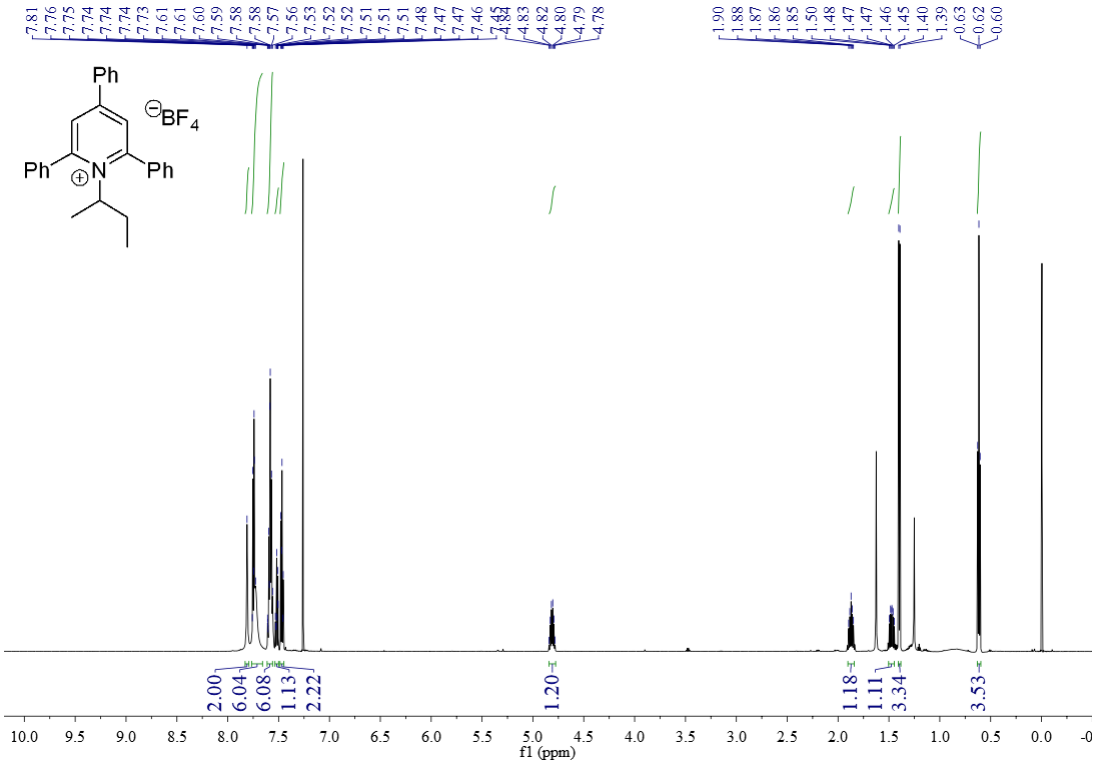
^{13}C NMR of **2k**



^{19}F NMR of **2k**



^{1}H NMR of **2l**



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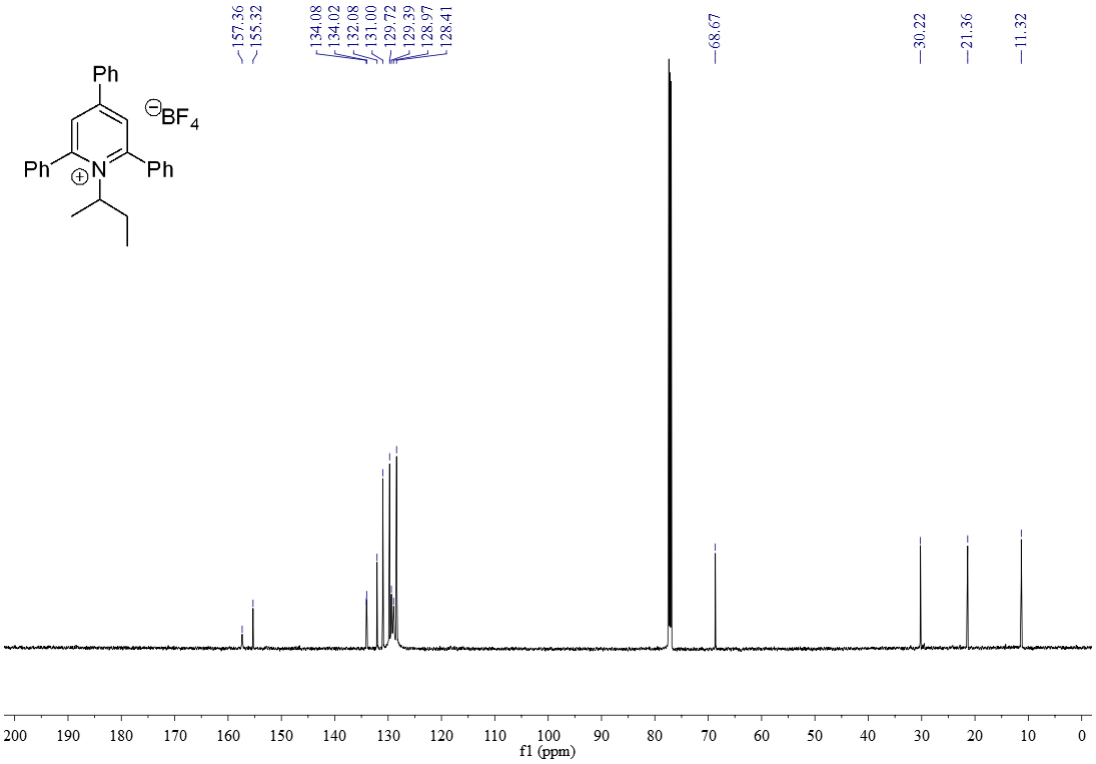

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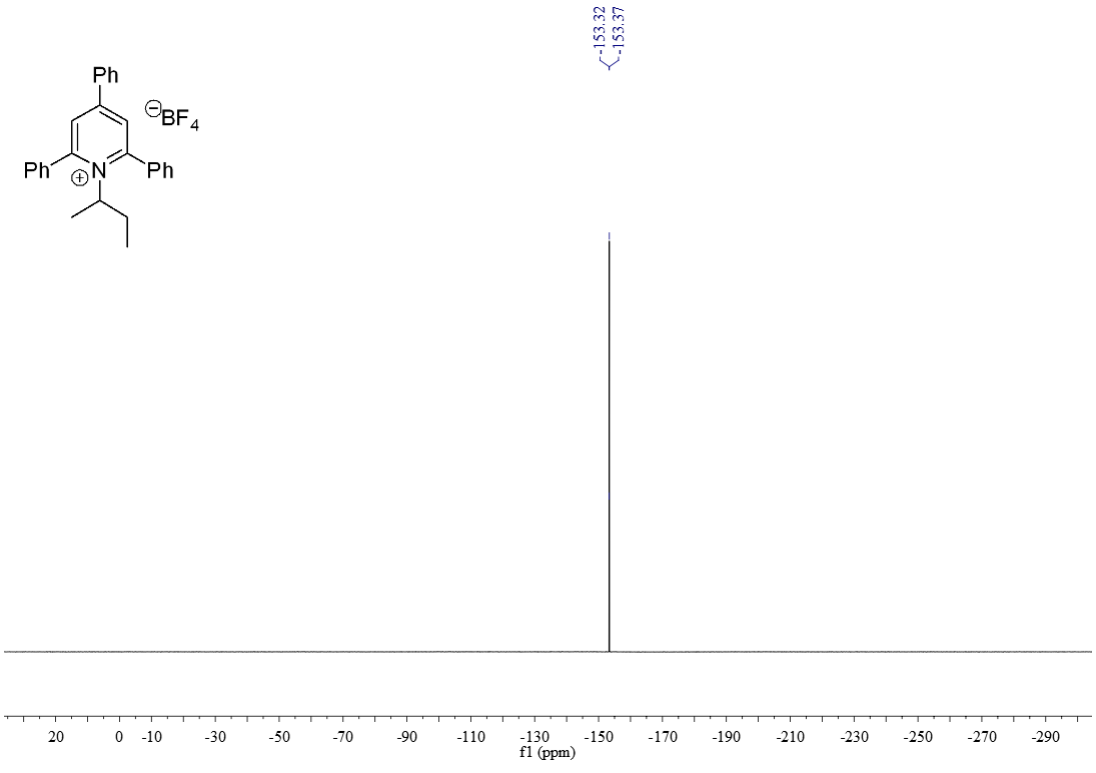
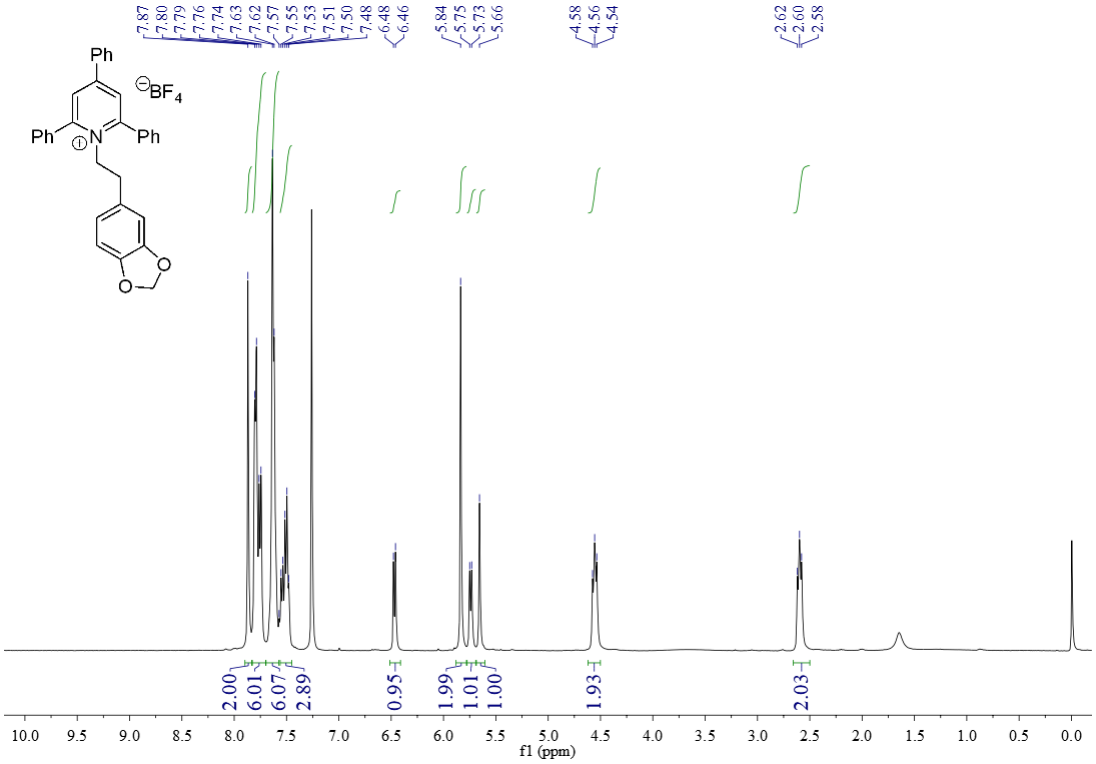
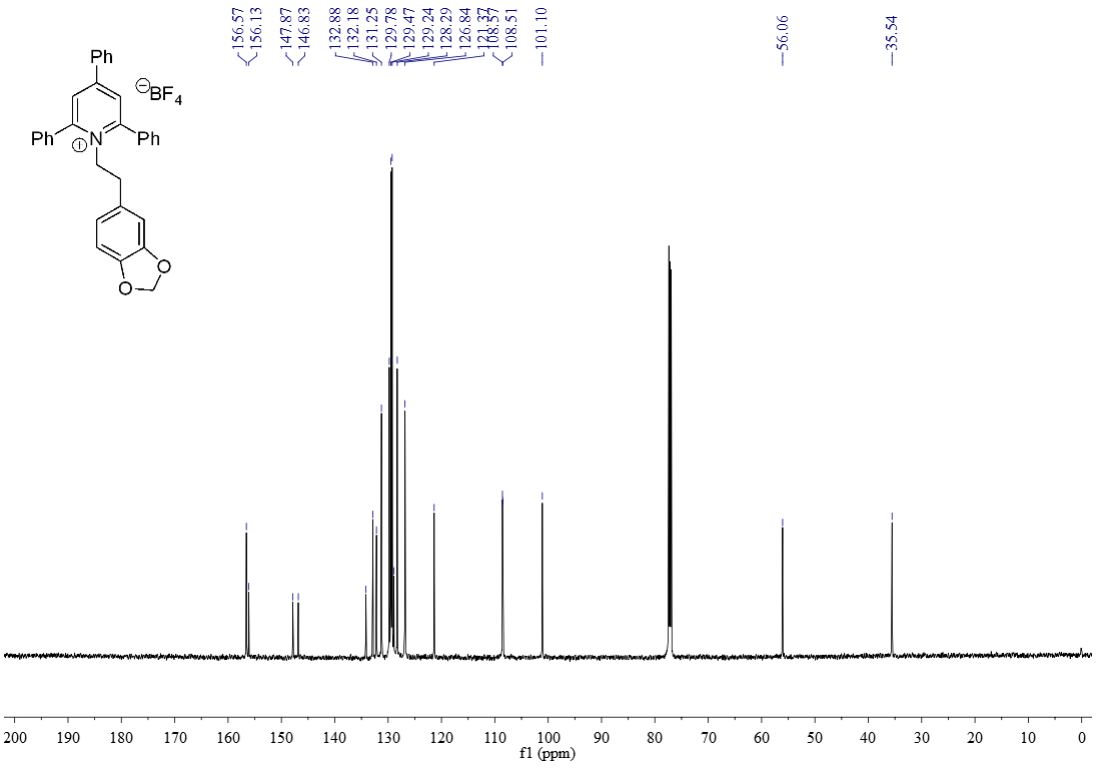


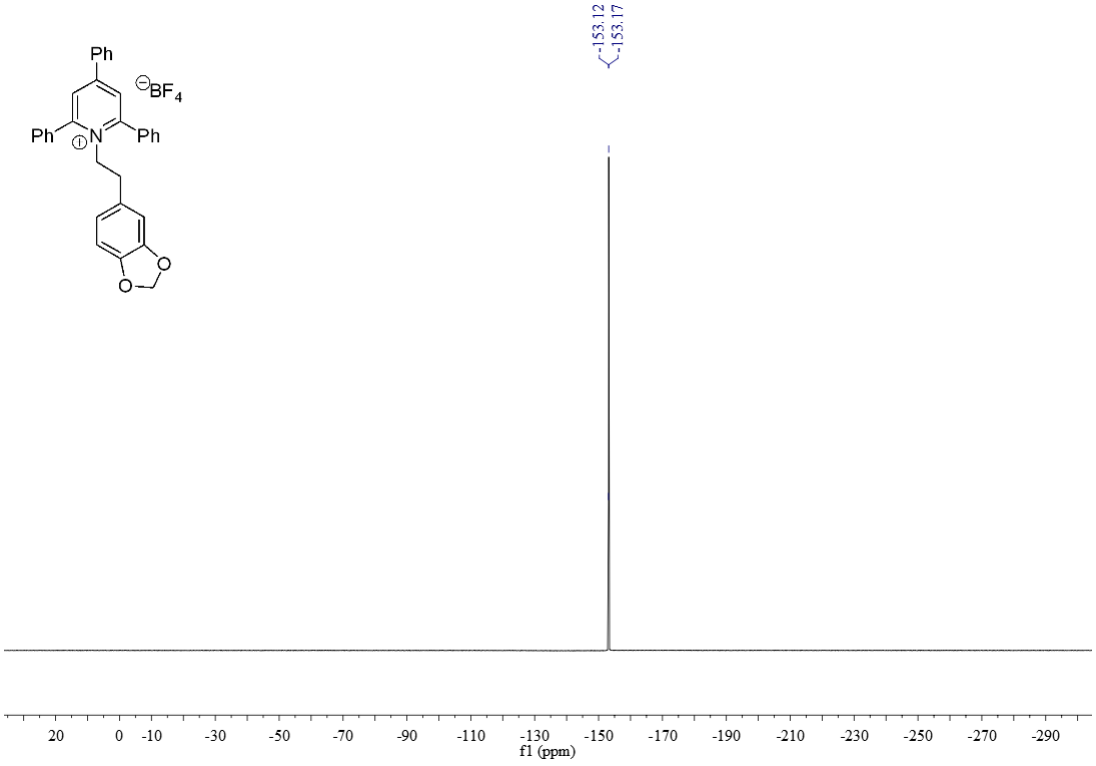
^{1}H NMR of **2m**



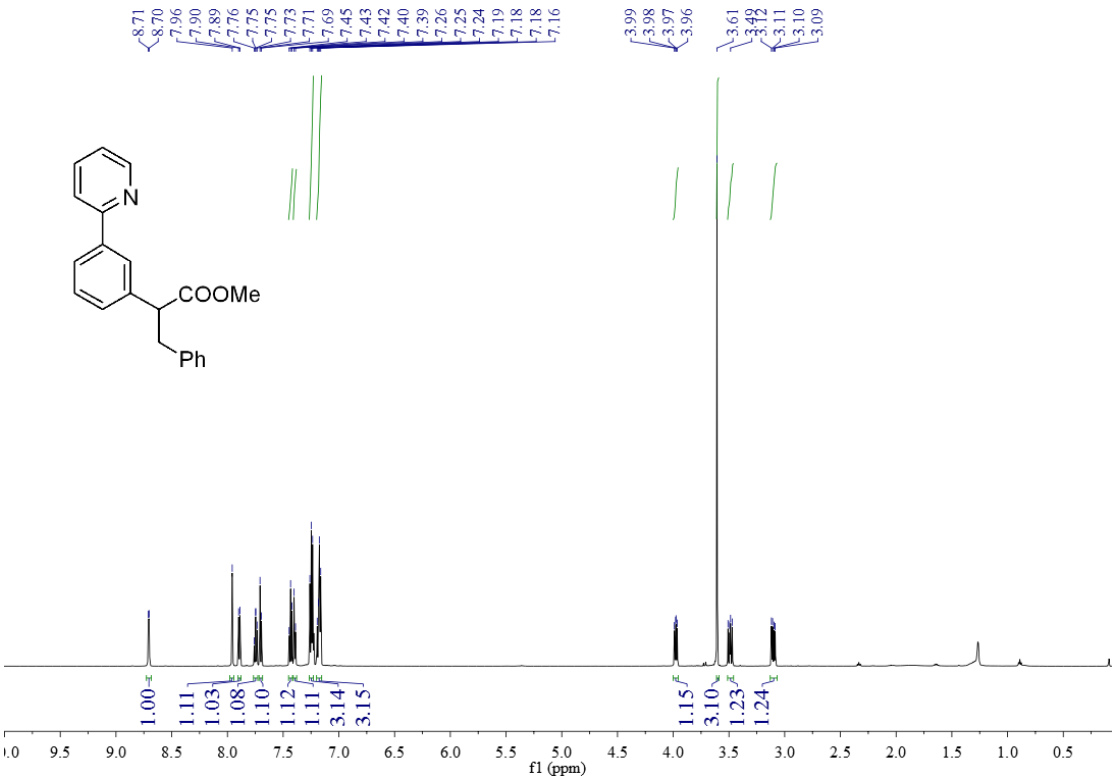
^{13}C NMR of **2m**



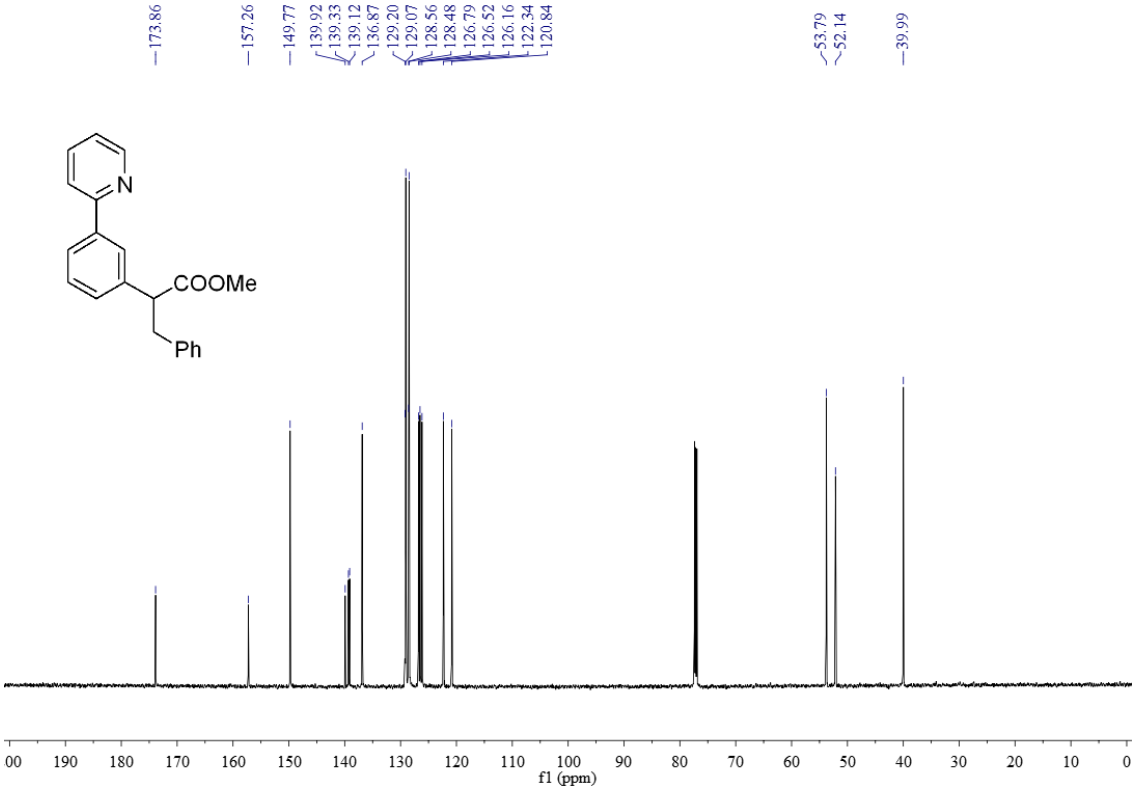
^{19}F NMR of **2m**



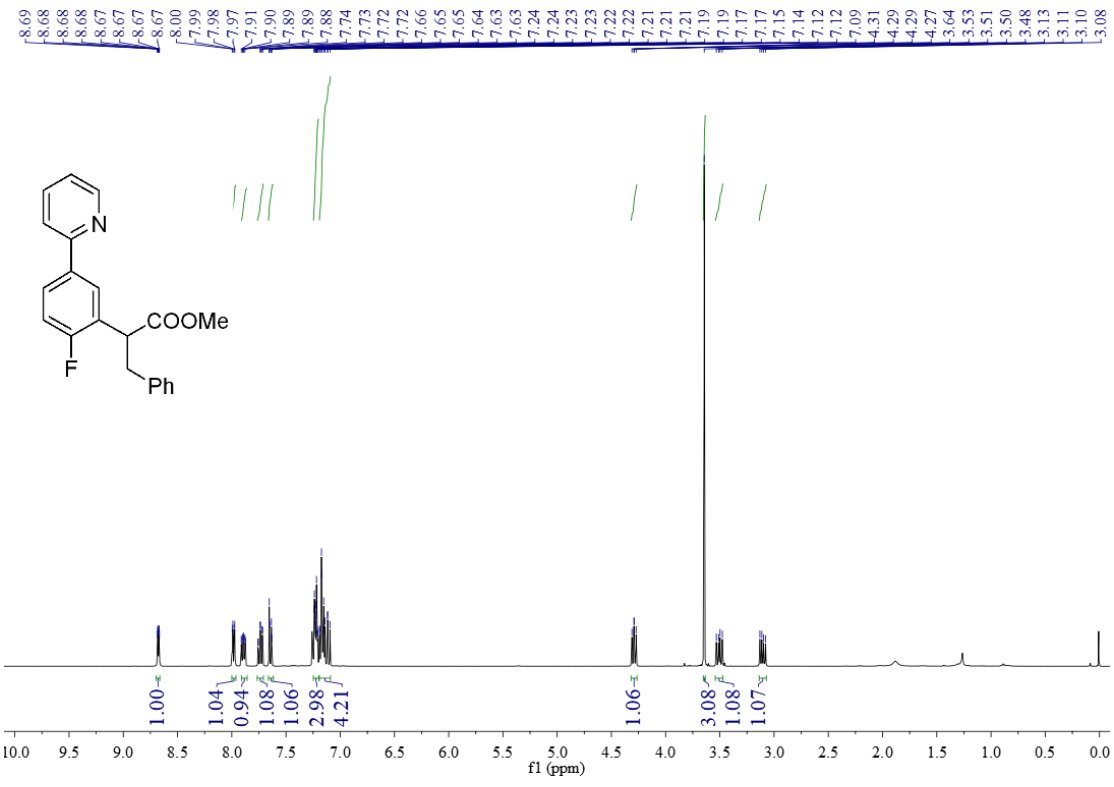
^{1}H NMR of **3a**



^{13}C NMR of **3a**



^{1}H NMR of **3b**



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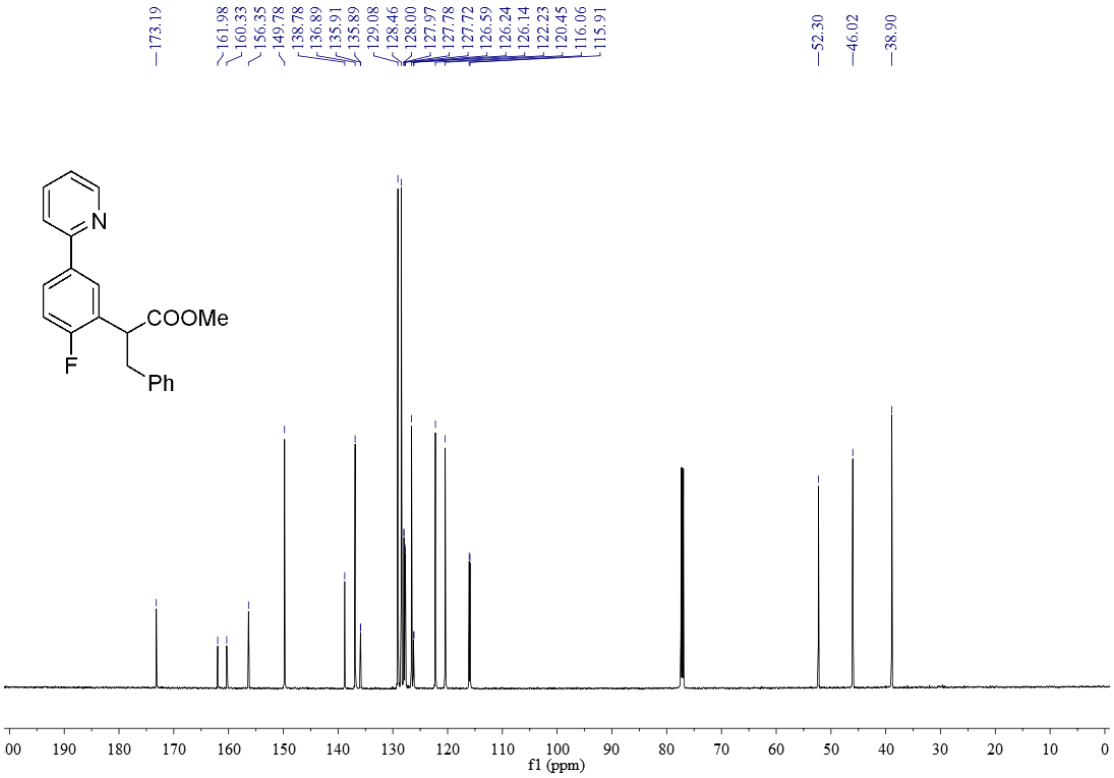

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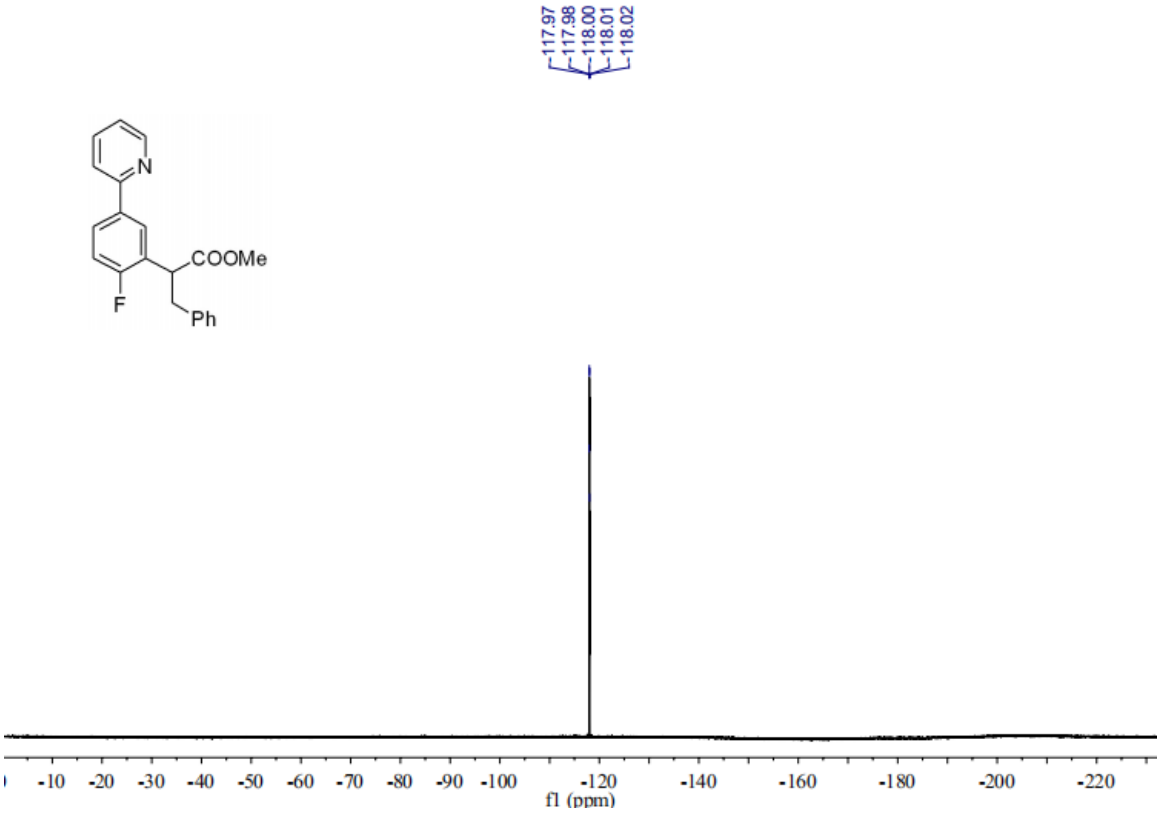
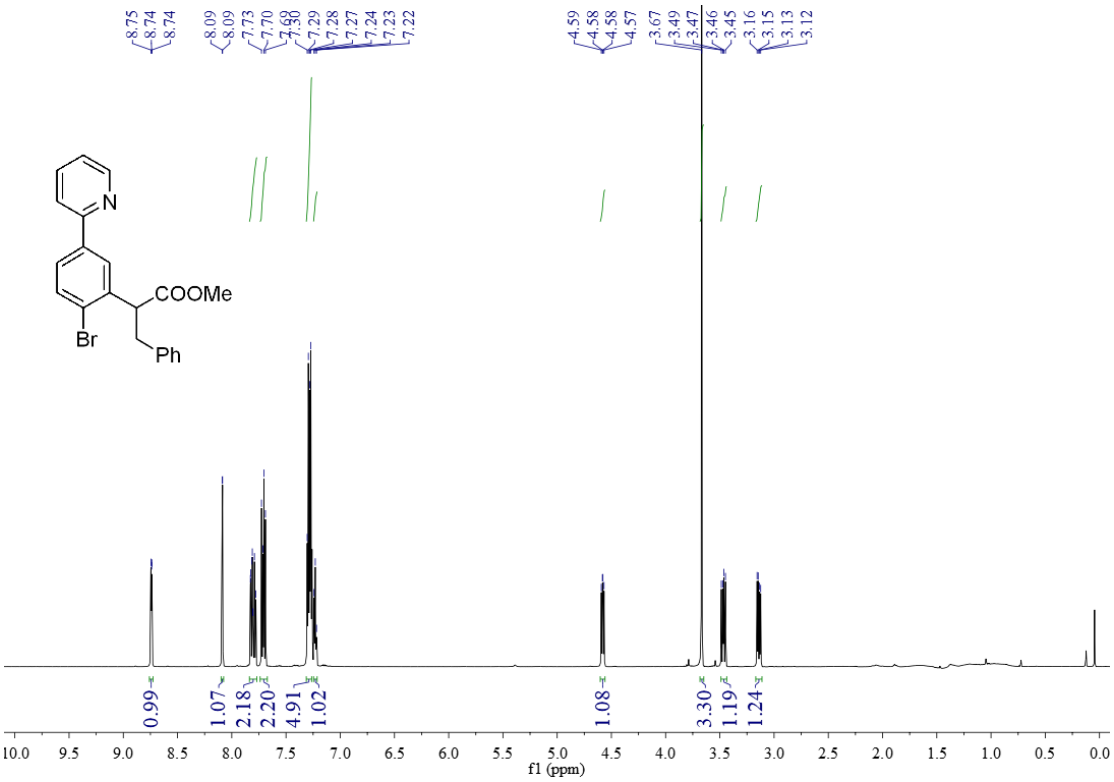


^{1}H NMR of **3c**



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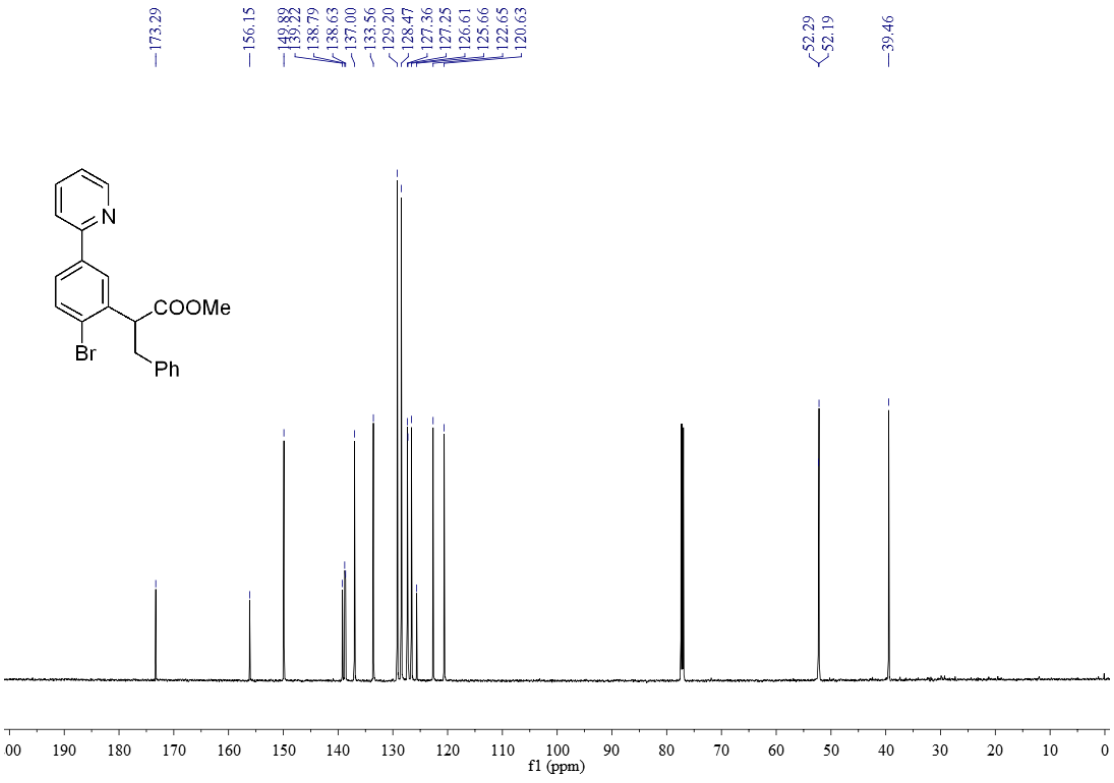
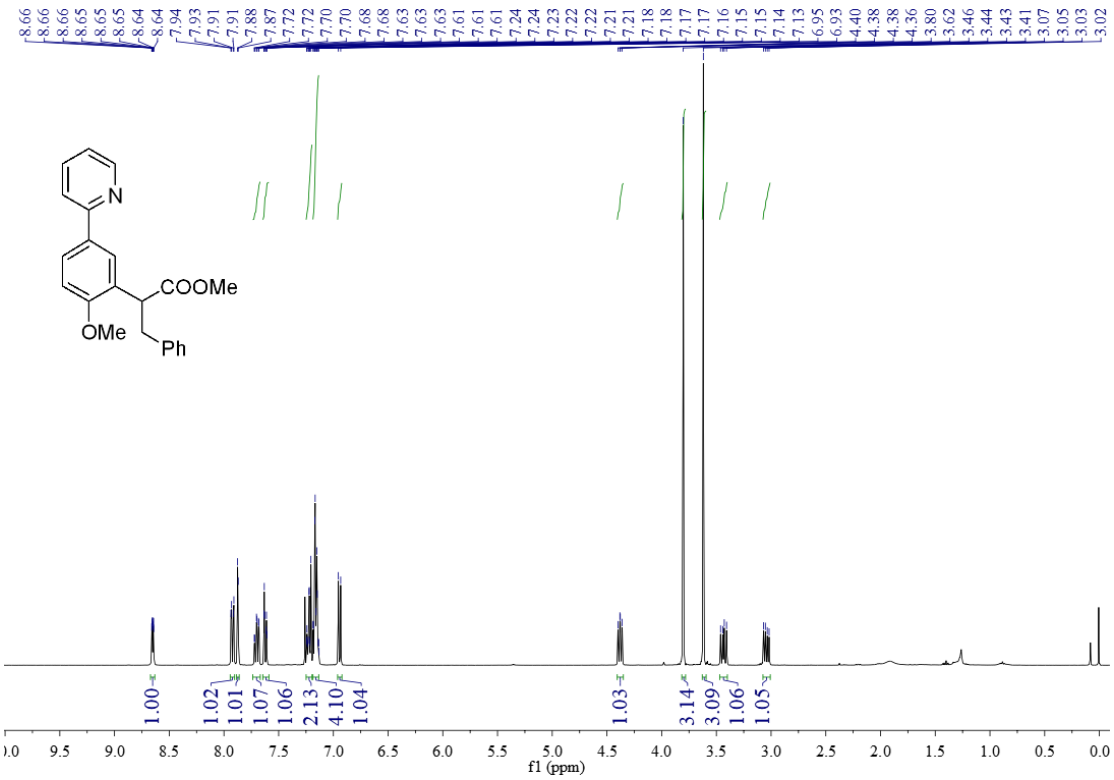
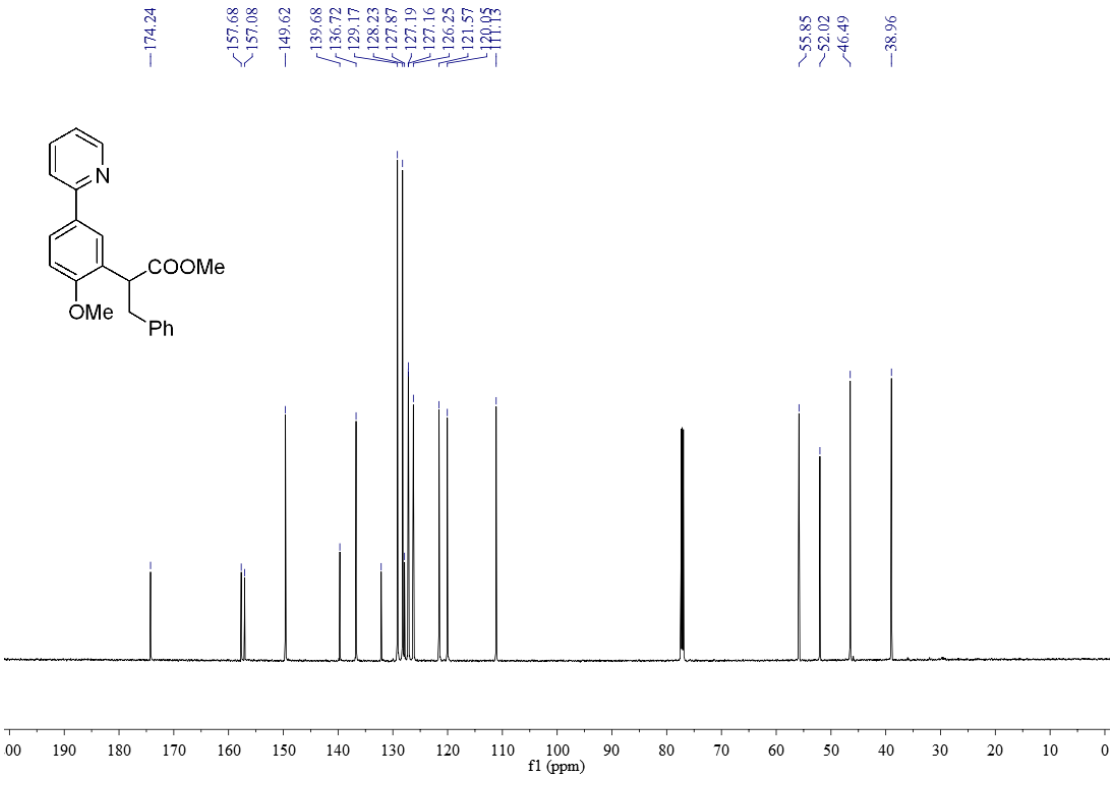


^{1}H NMR of **3d**



^{13}C NMR of **3d**



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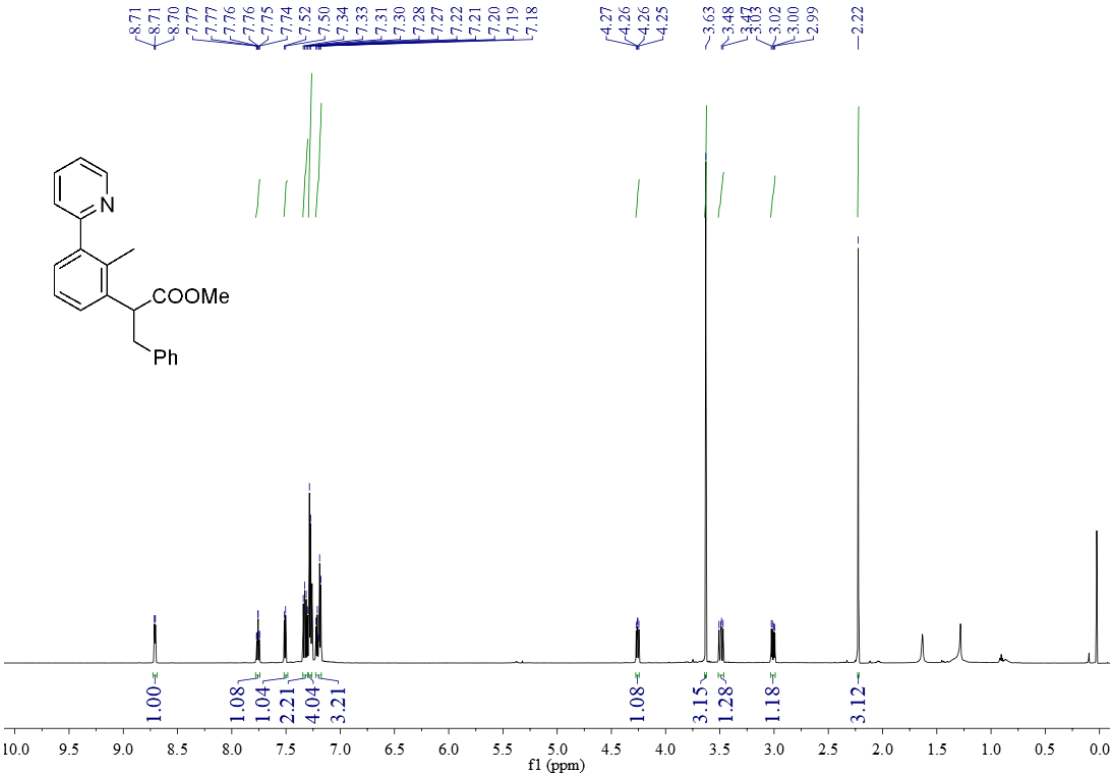
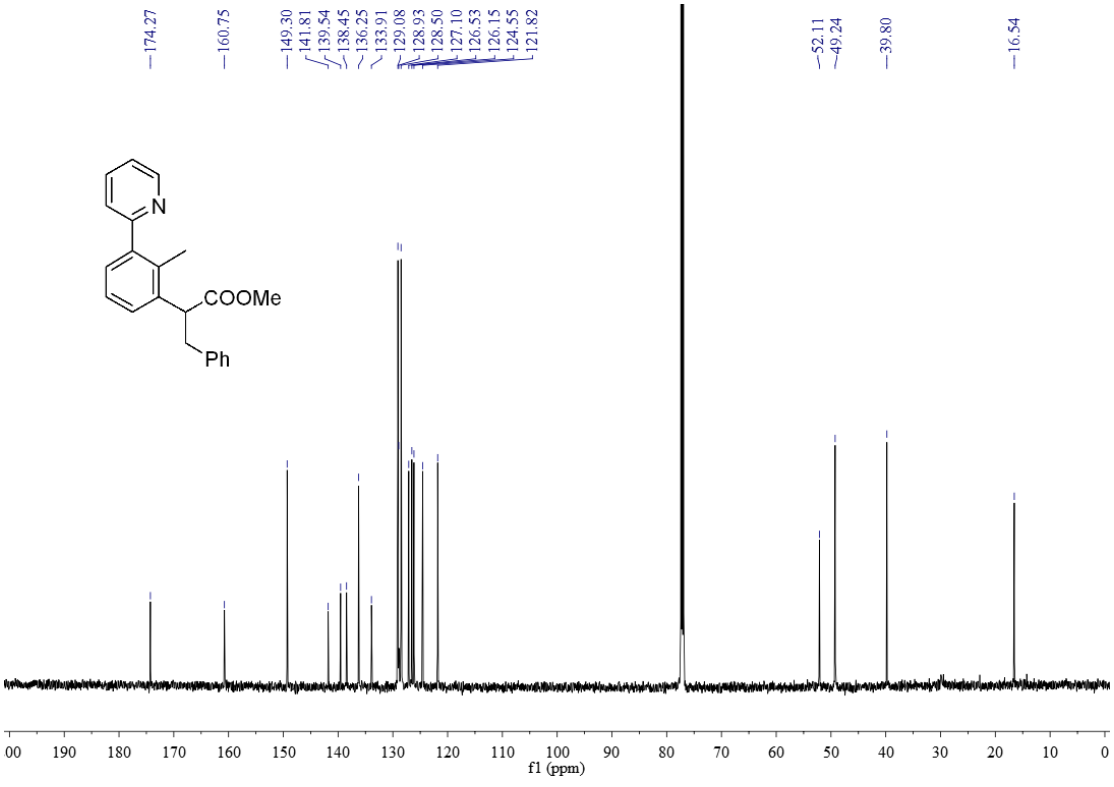
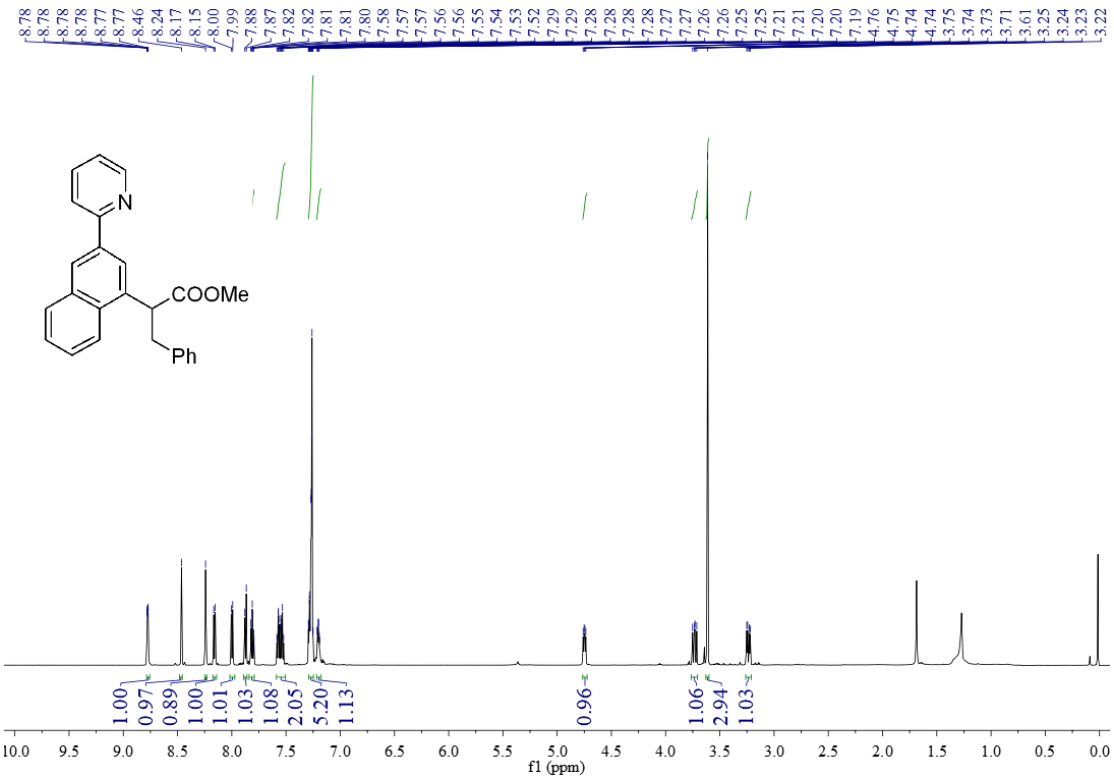


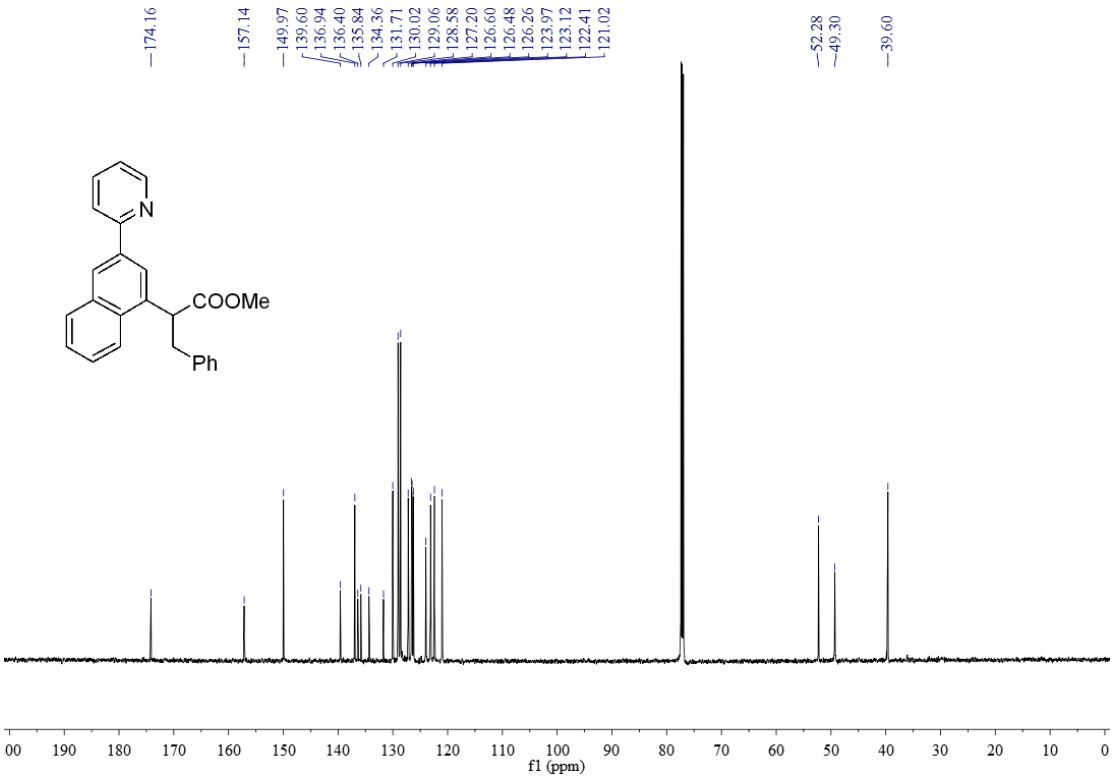
^{13}C NMR of **3f**



^{1}H NMR of **3g**



^{13}C NMR of **3g**



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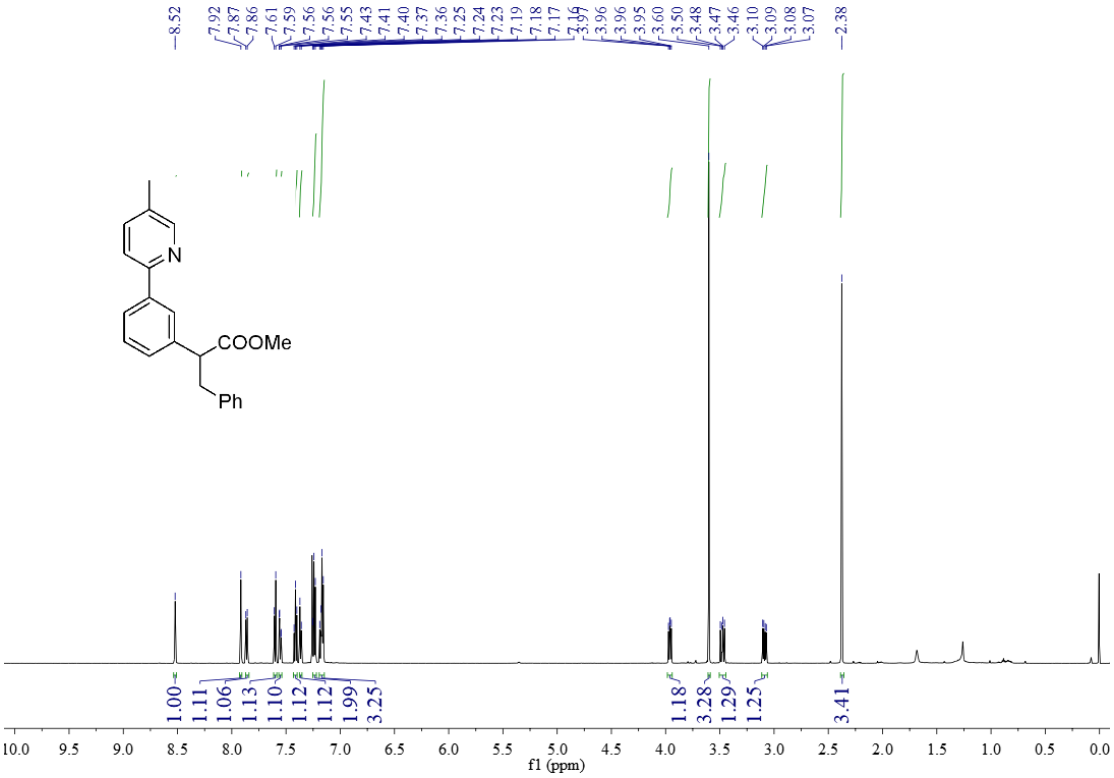
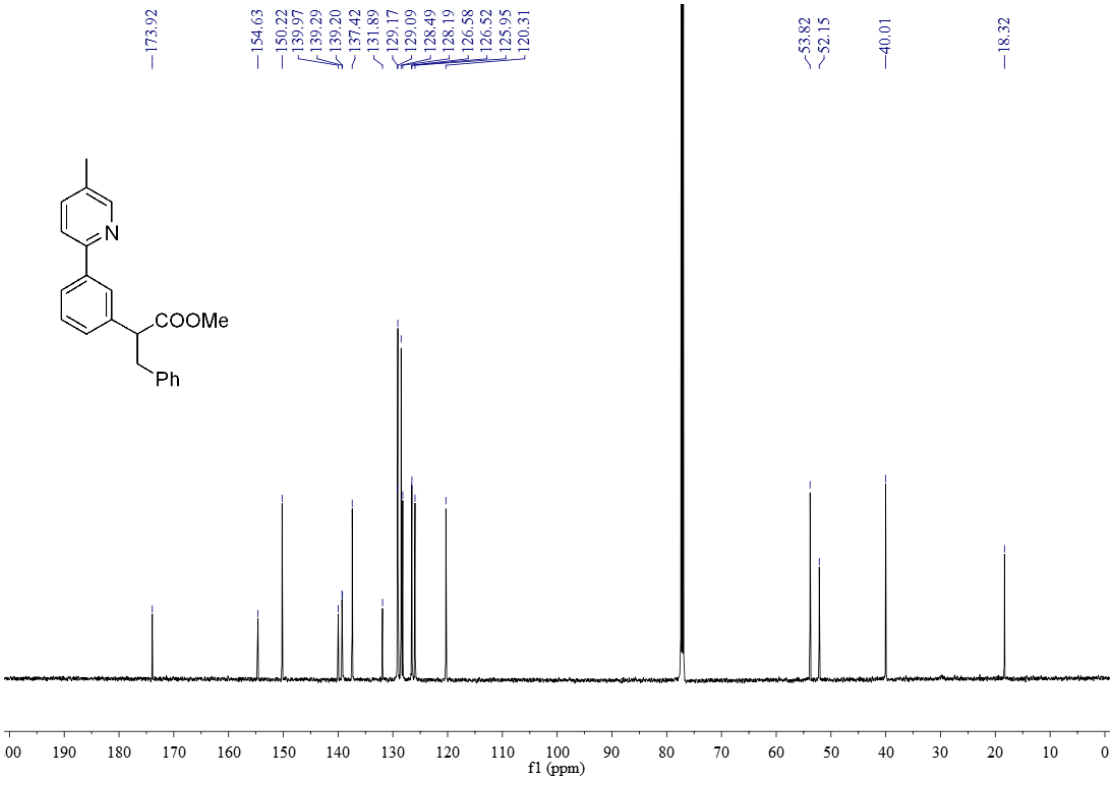
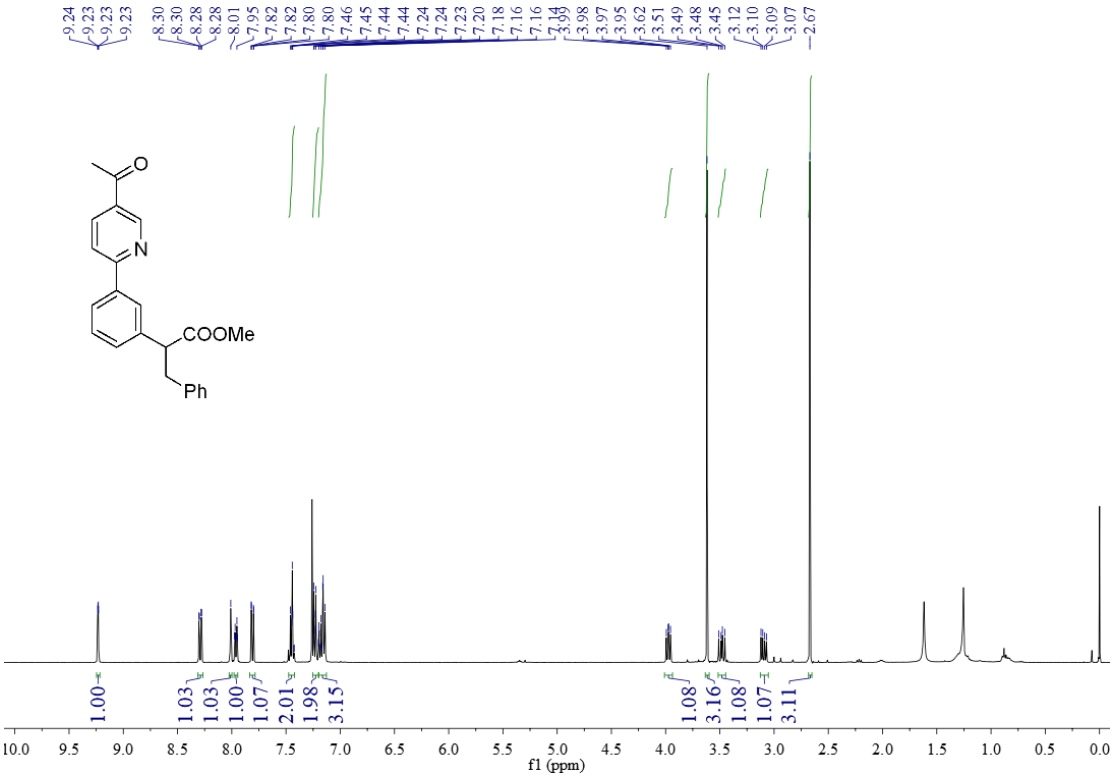


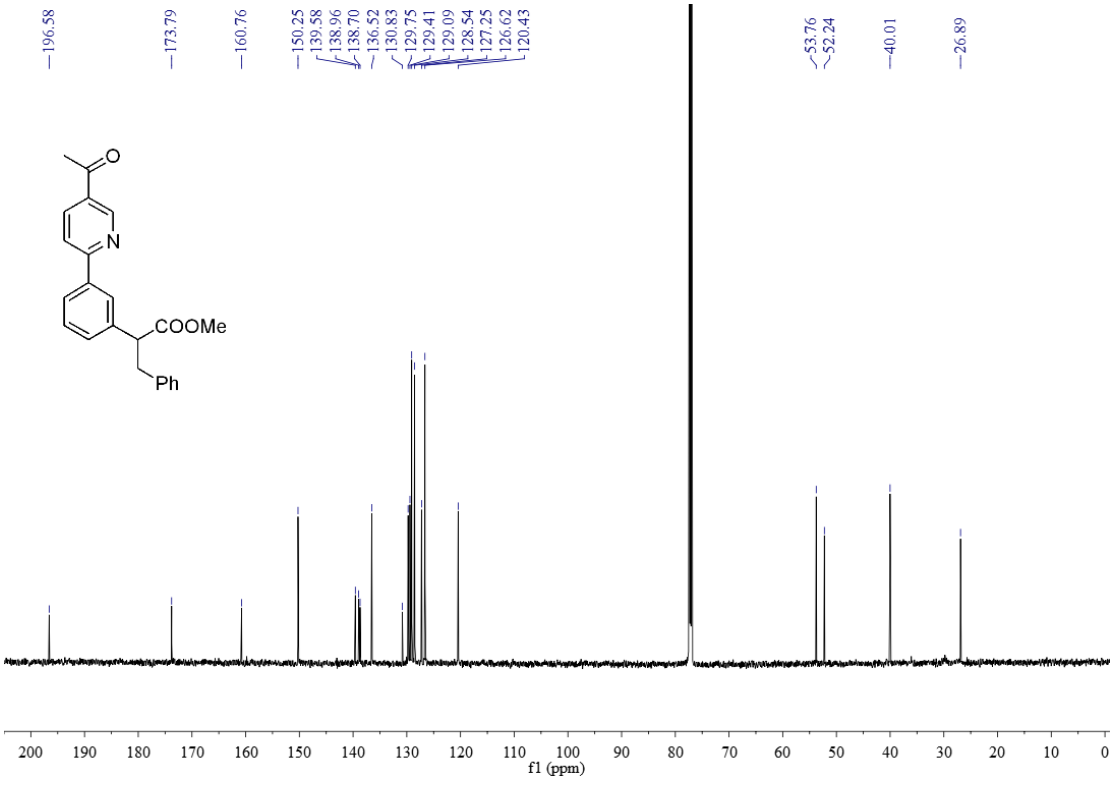
^{13}C NMR of **3h**



^{1}H NMR of **3i**



^{13}C NMR of **3i**



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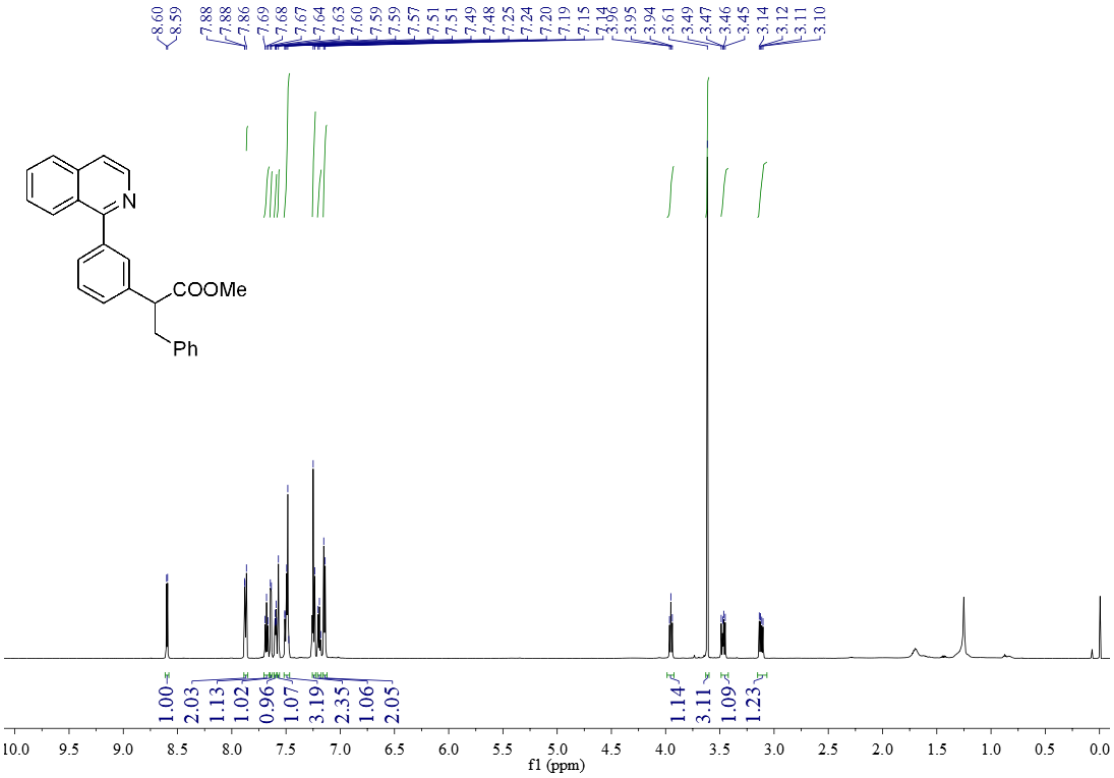

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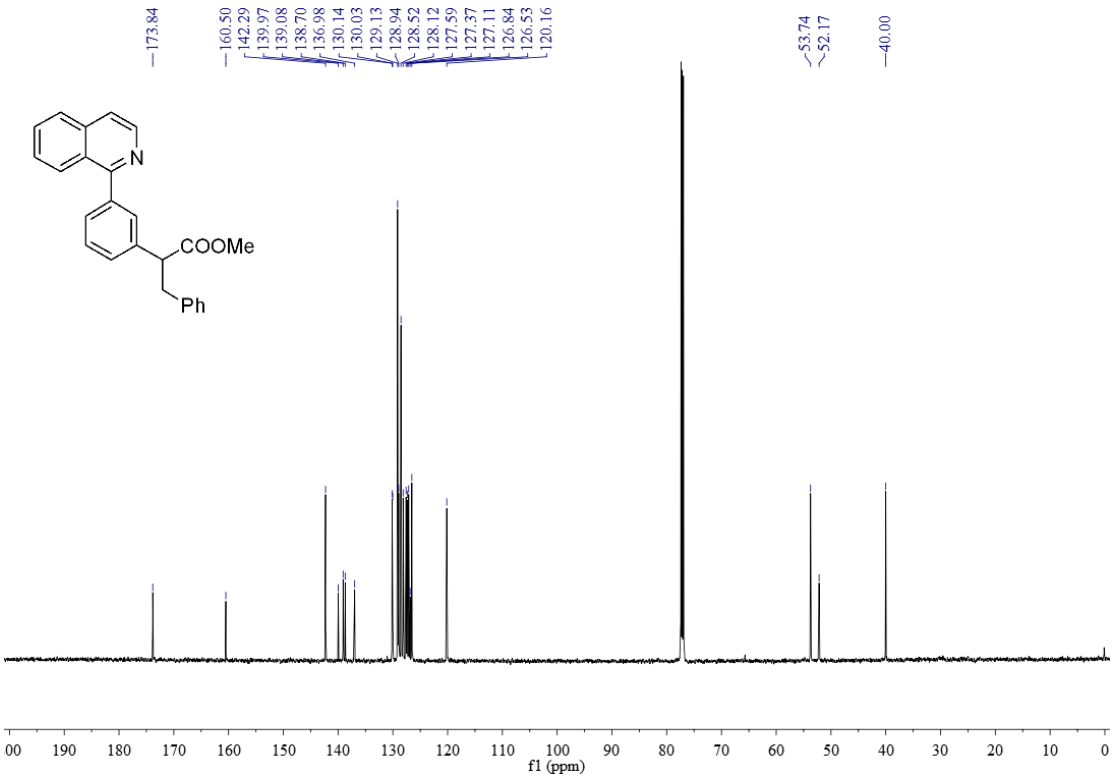
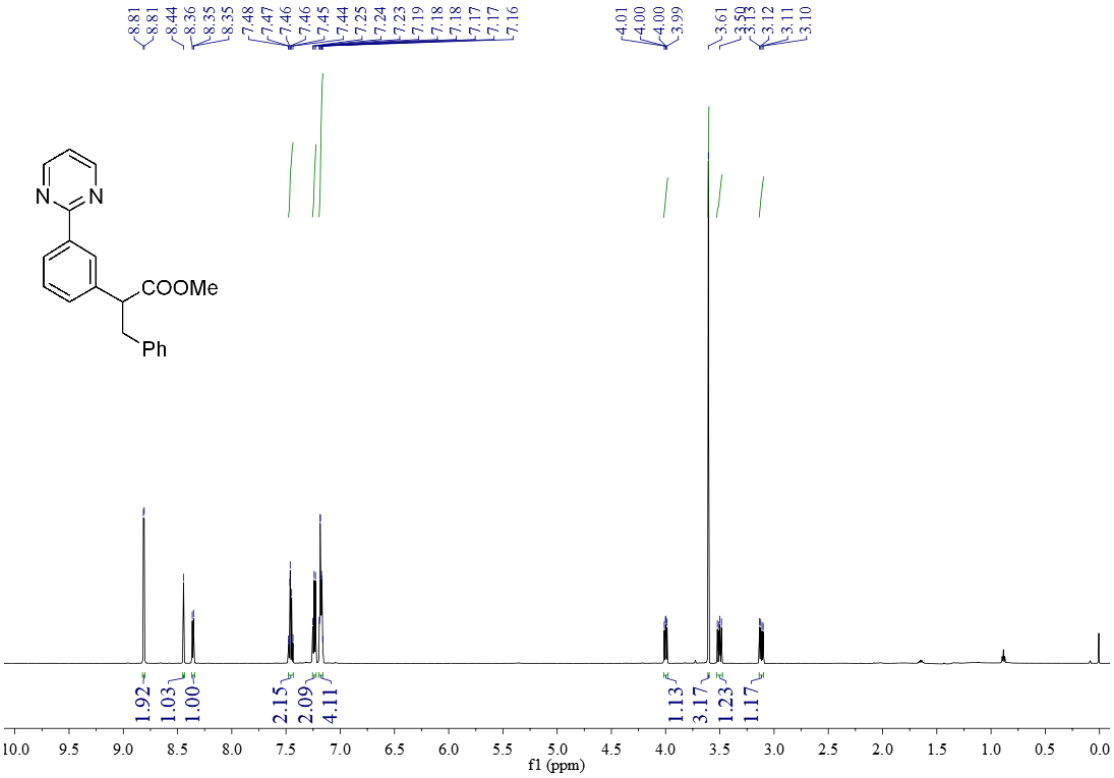
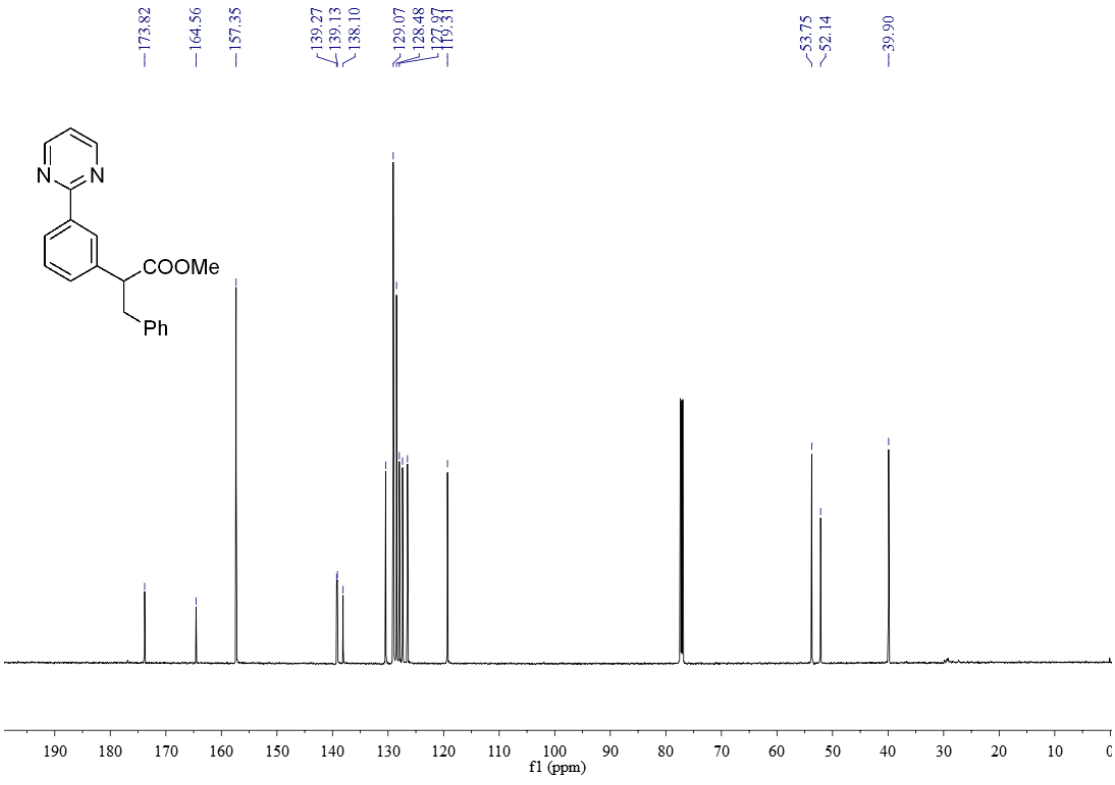


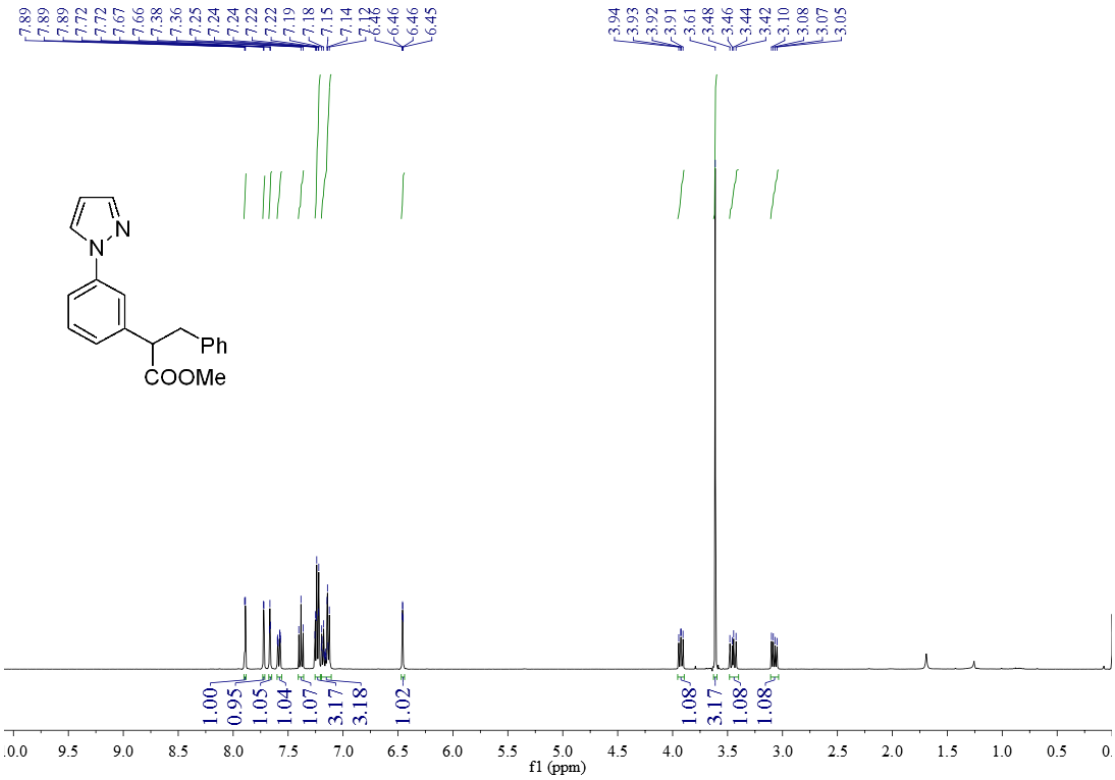
^{1}H NMR of **3k**



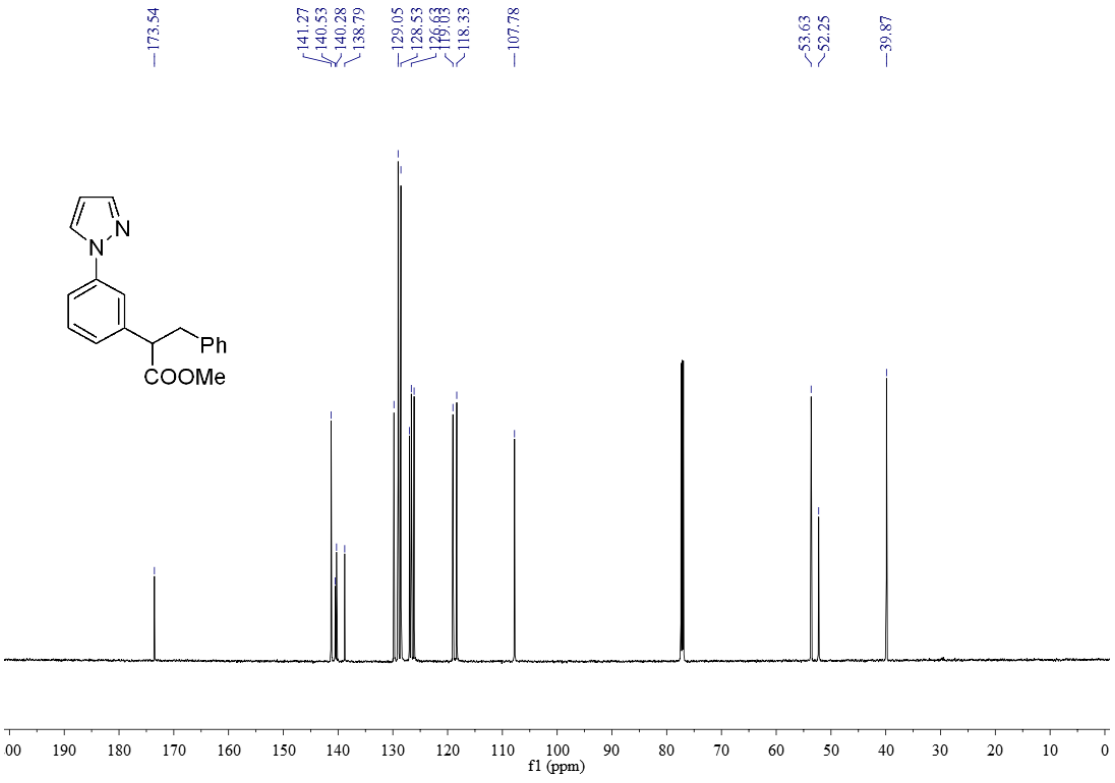
^{13}C NMR of **3k**



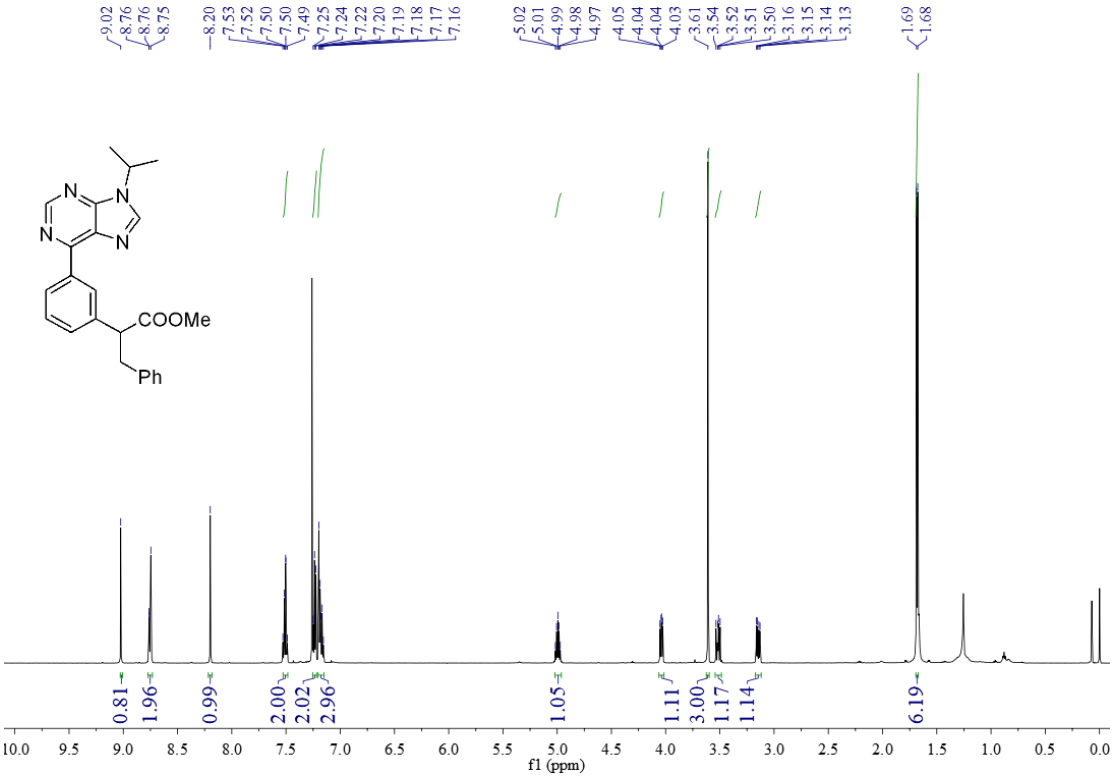
^{1}H NMR of **3l**



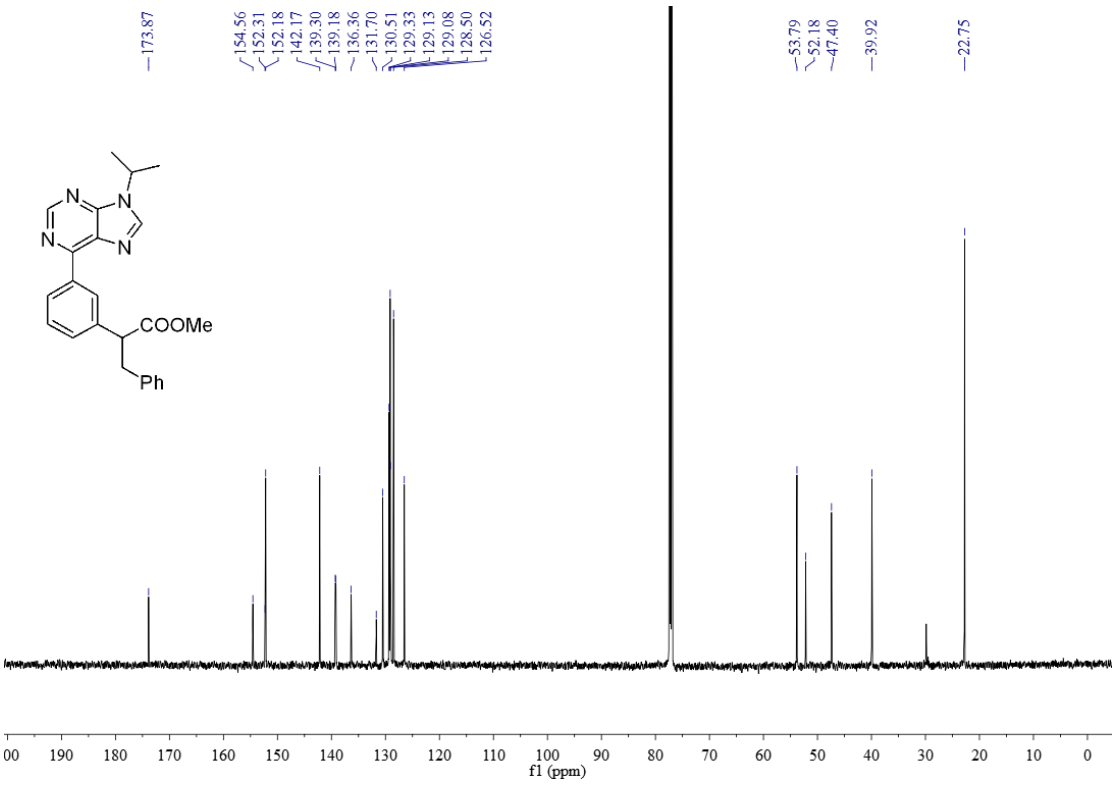
^{13}C NMR of **3l**



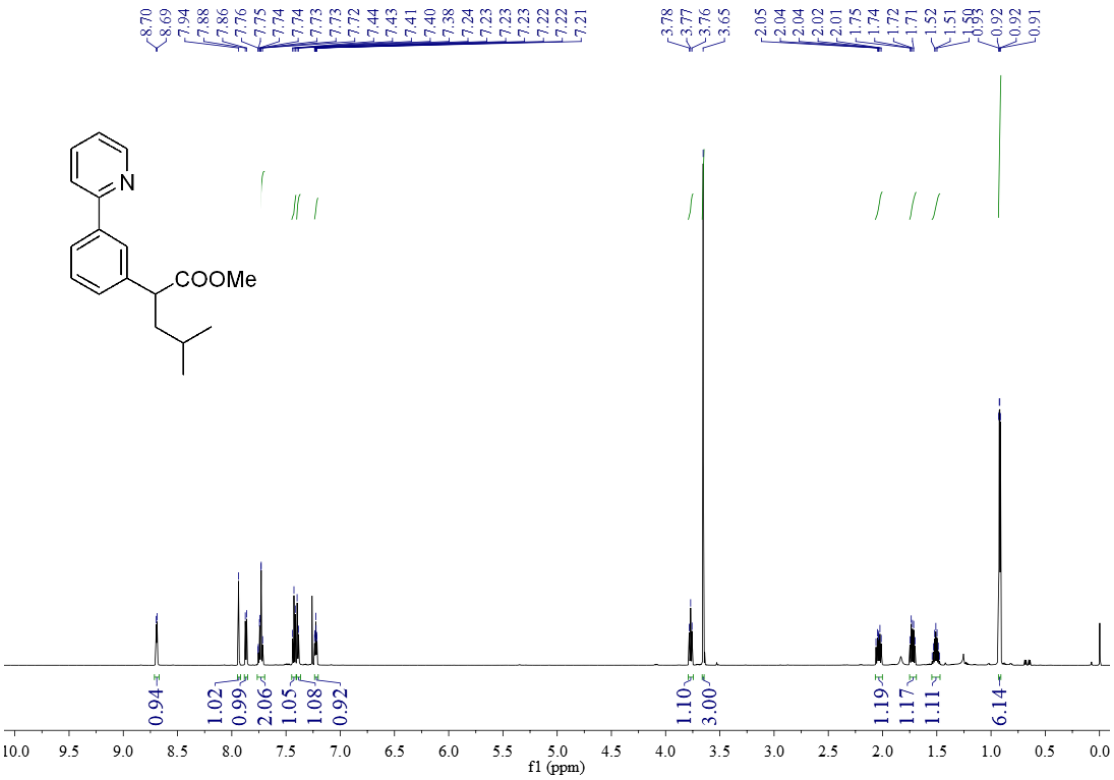
^{1}H NMR of **3m**



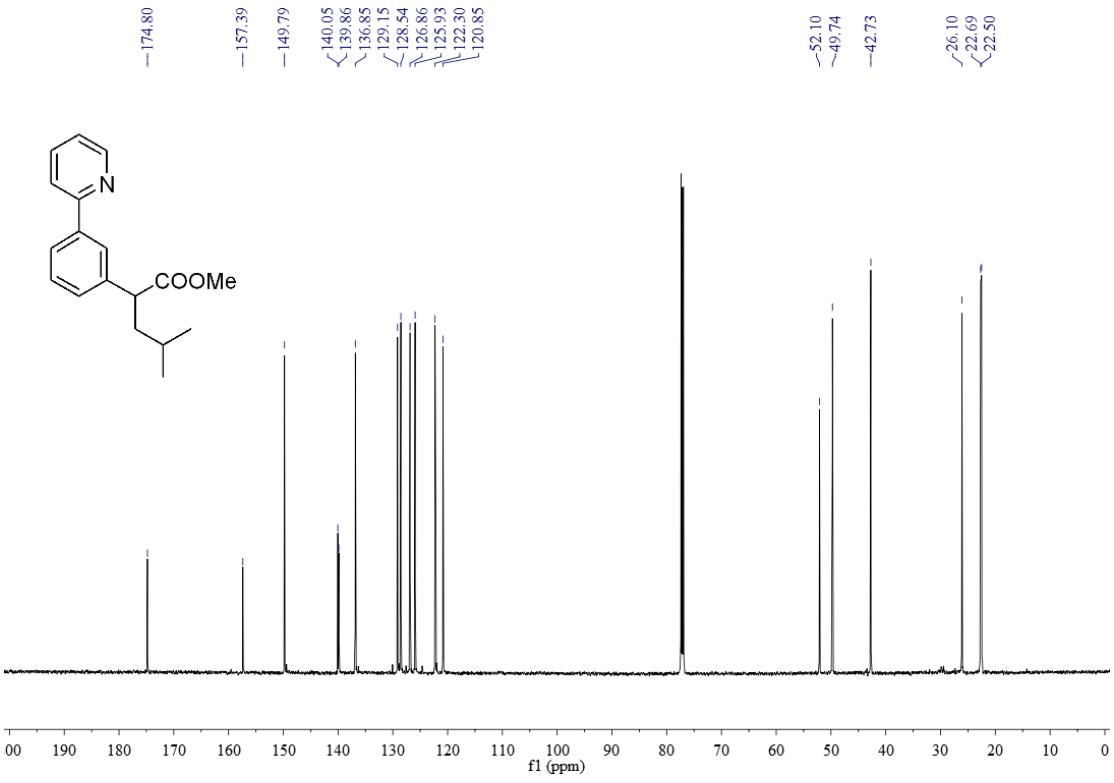
^{13}C NMR of **3m**



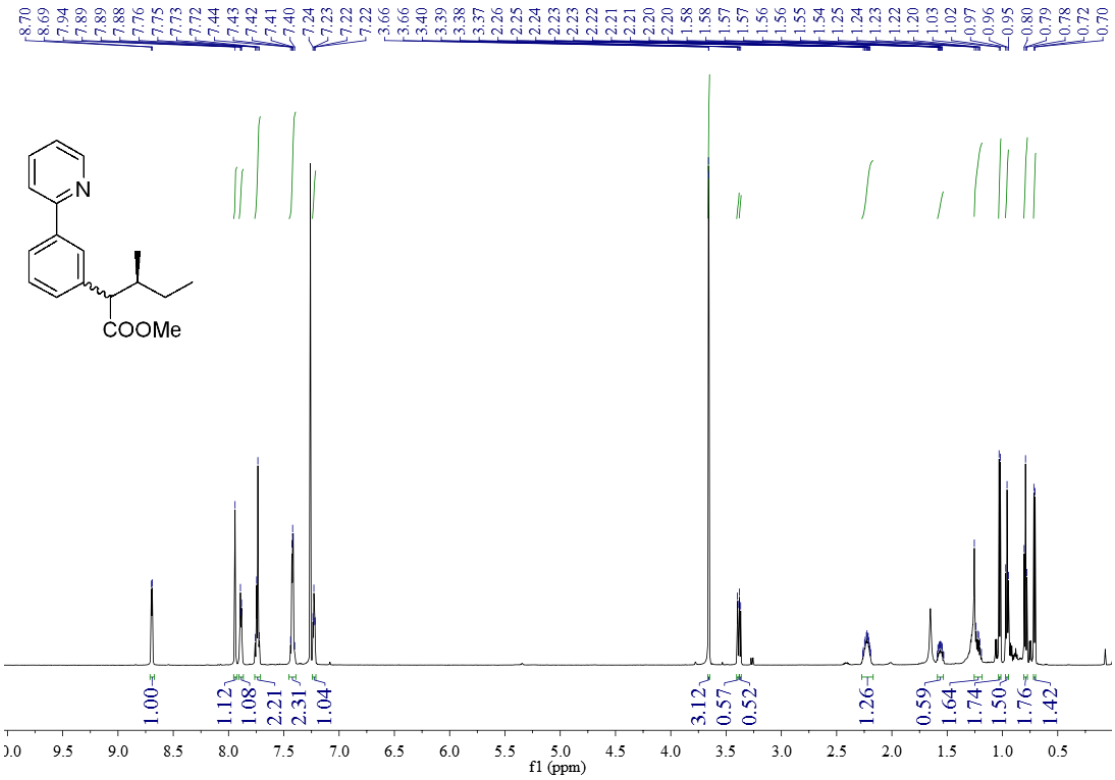
^{1}H NMR of **4a**



^{13}C NMR of **4a**



^{1}H NMR of **4b**



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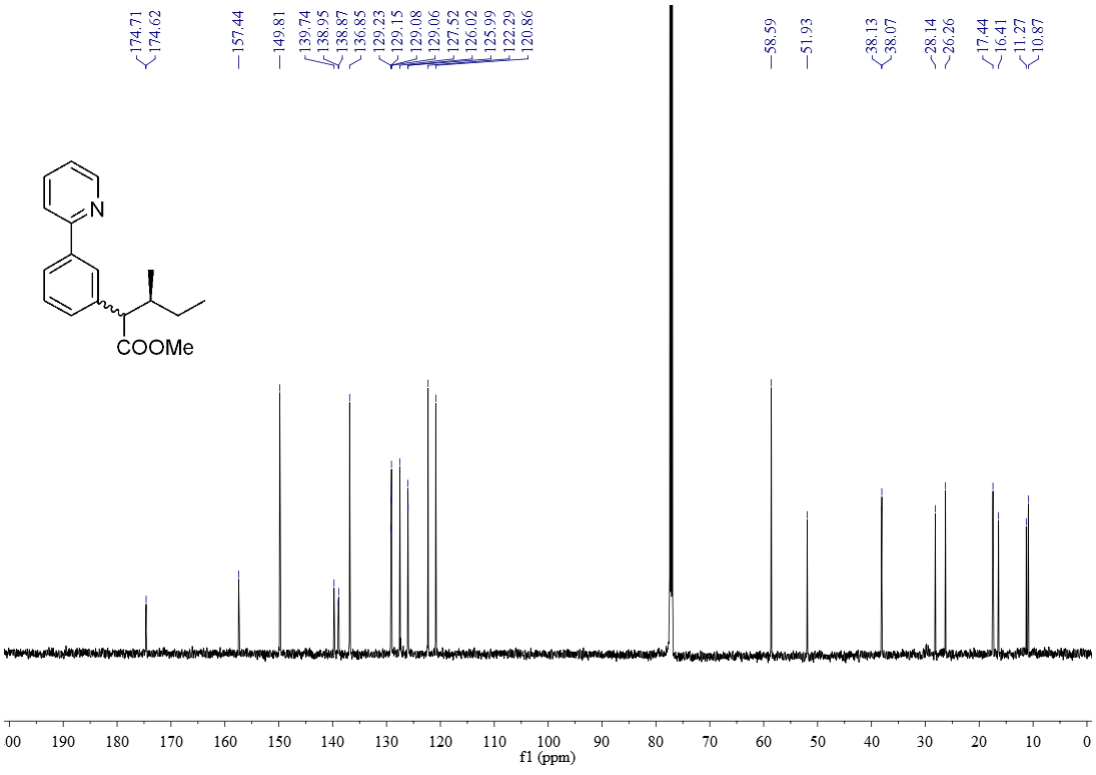
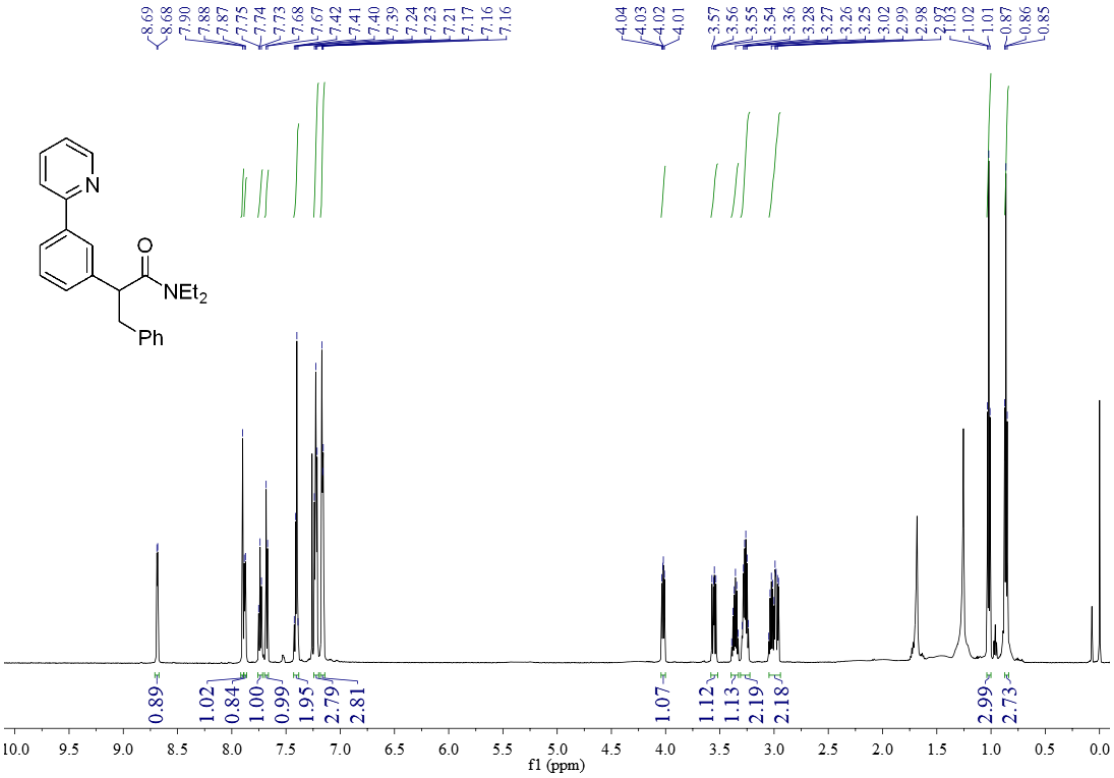
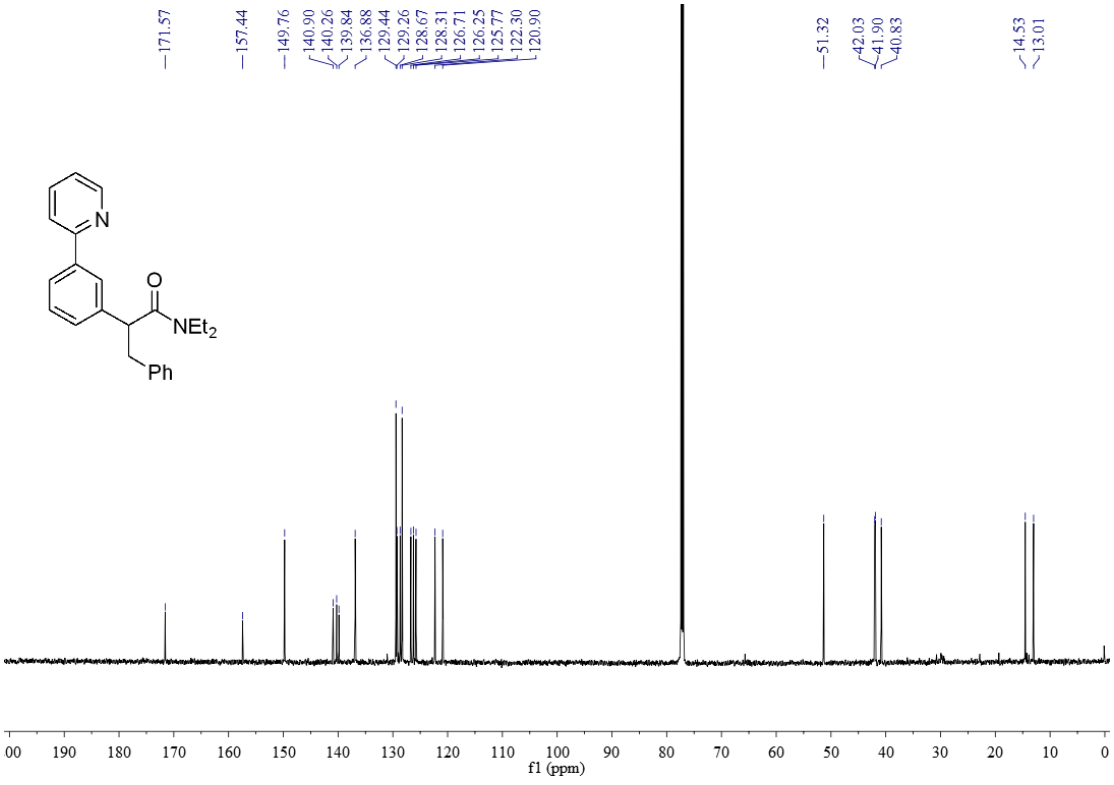


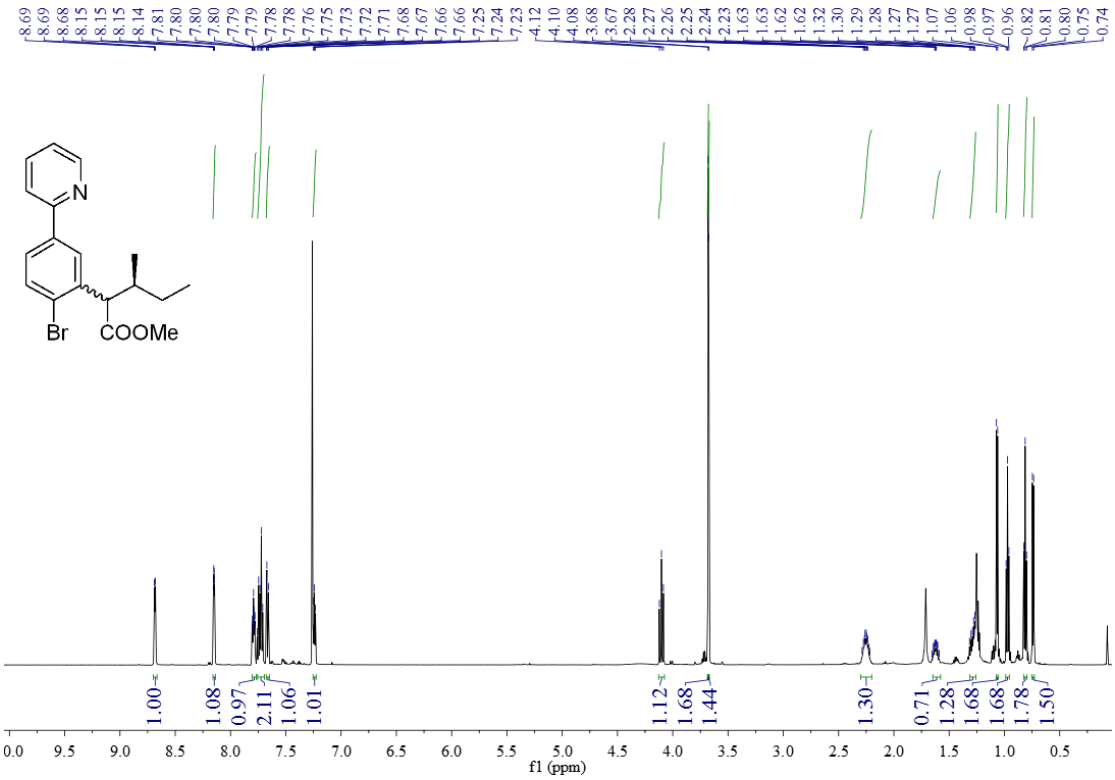
^{1}H NMR of **4c**



^{13}C NMR of **4c**



^{1}H NMR of **4d**



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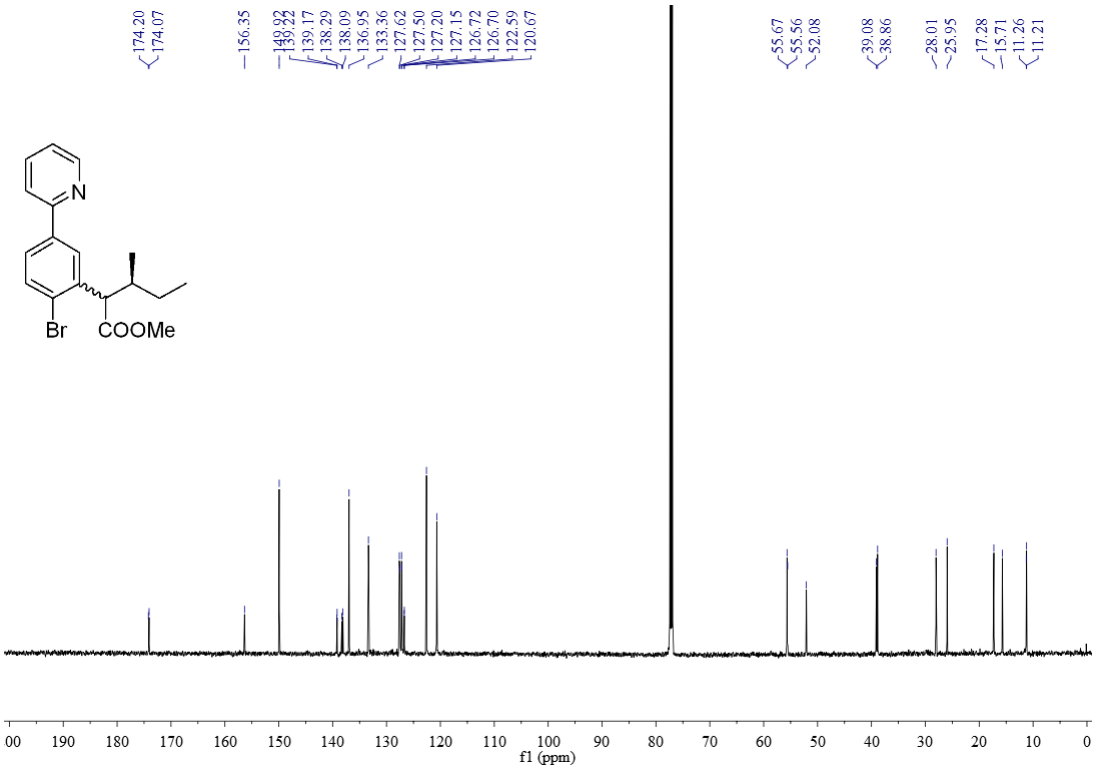

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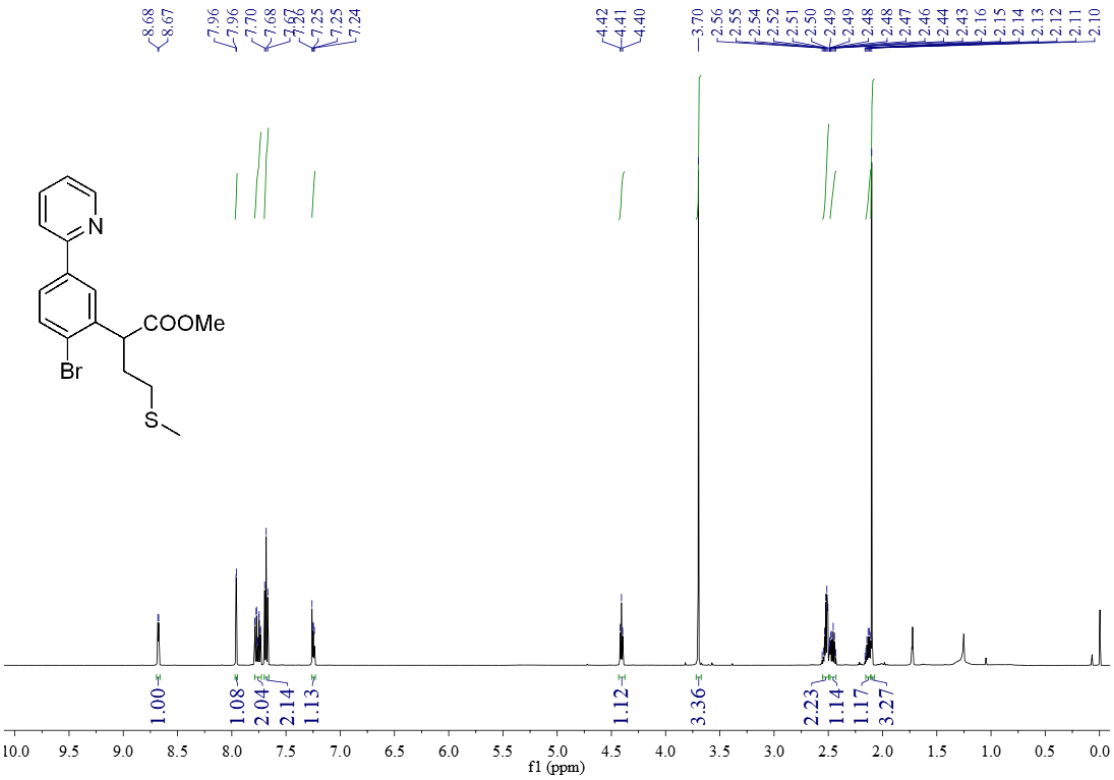

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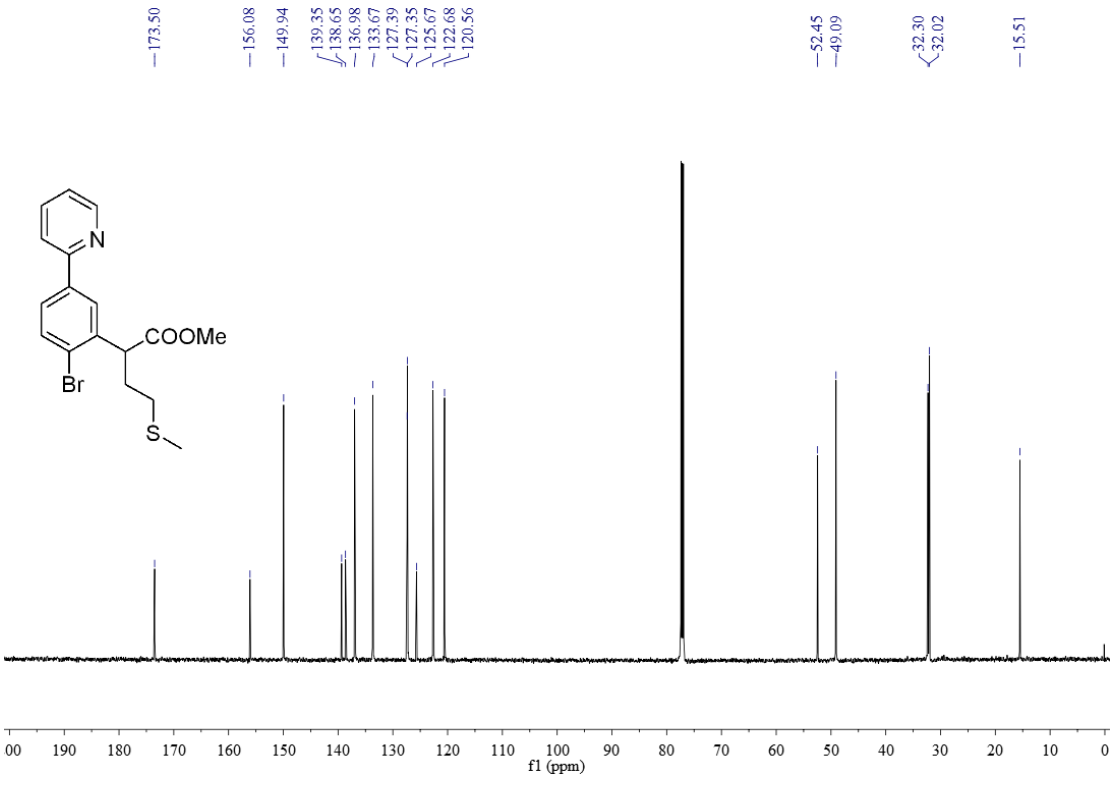
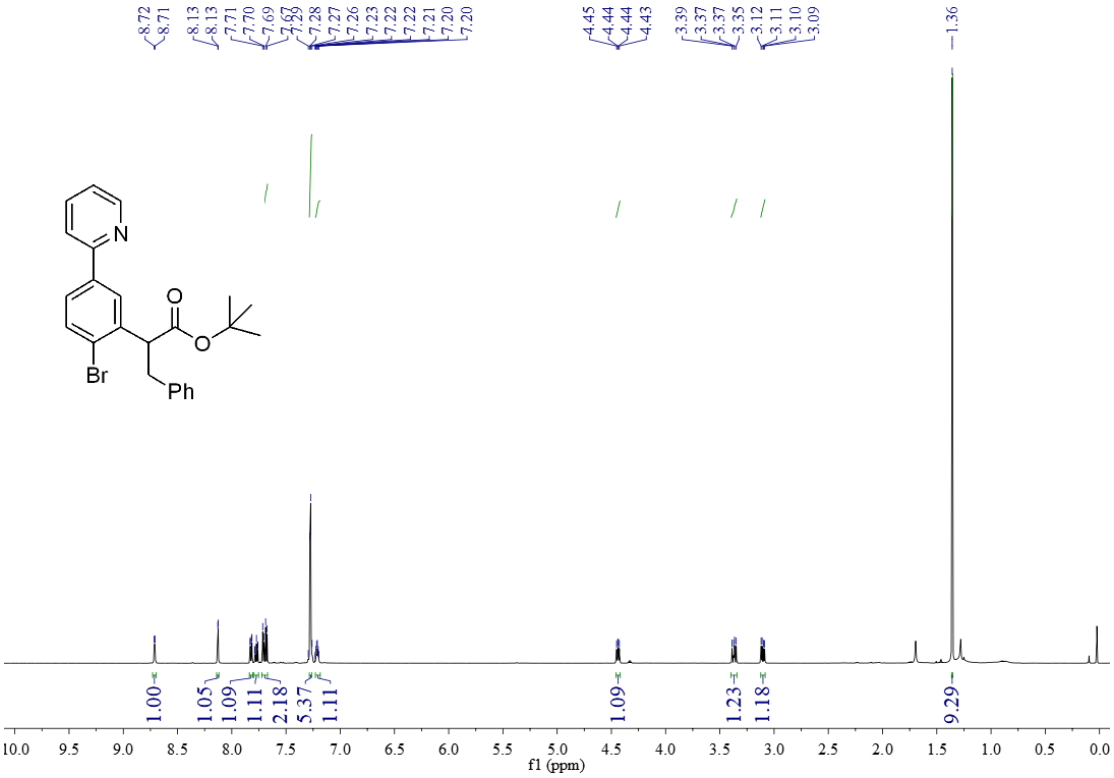
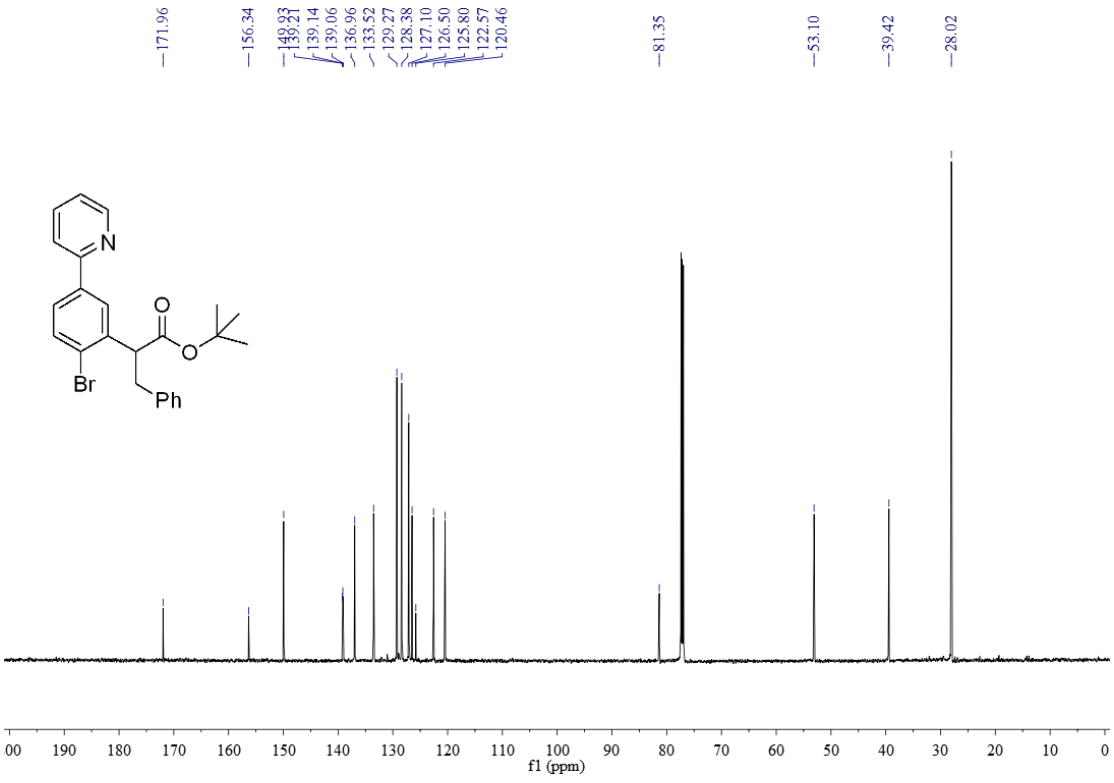


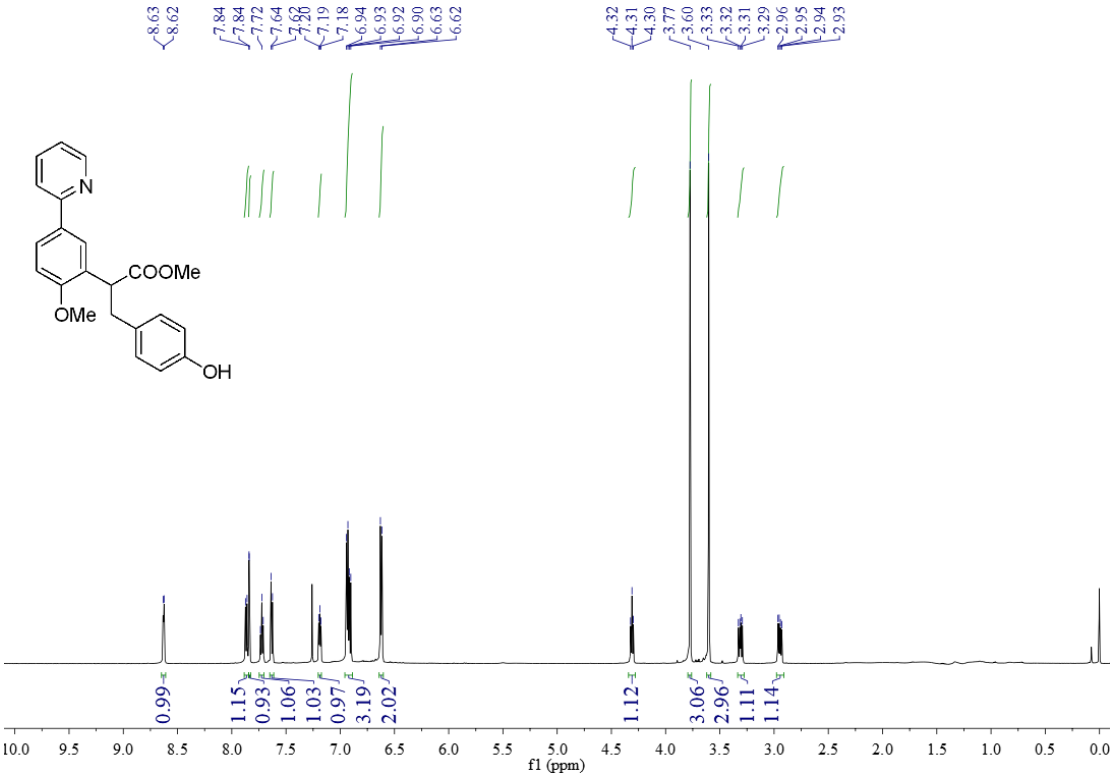
^{1}H NMR of **4f**



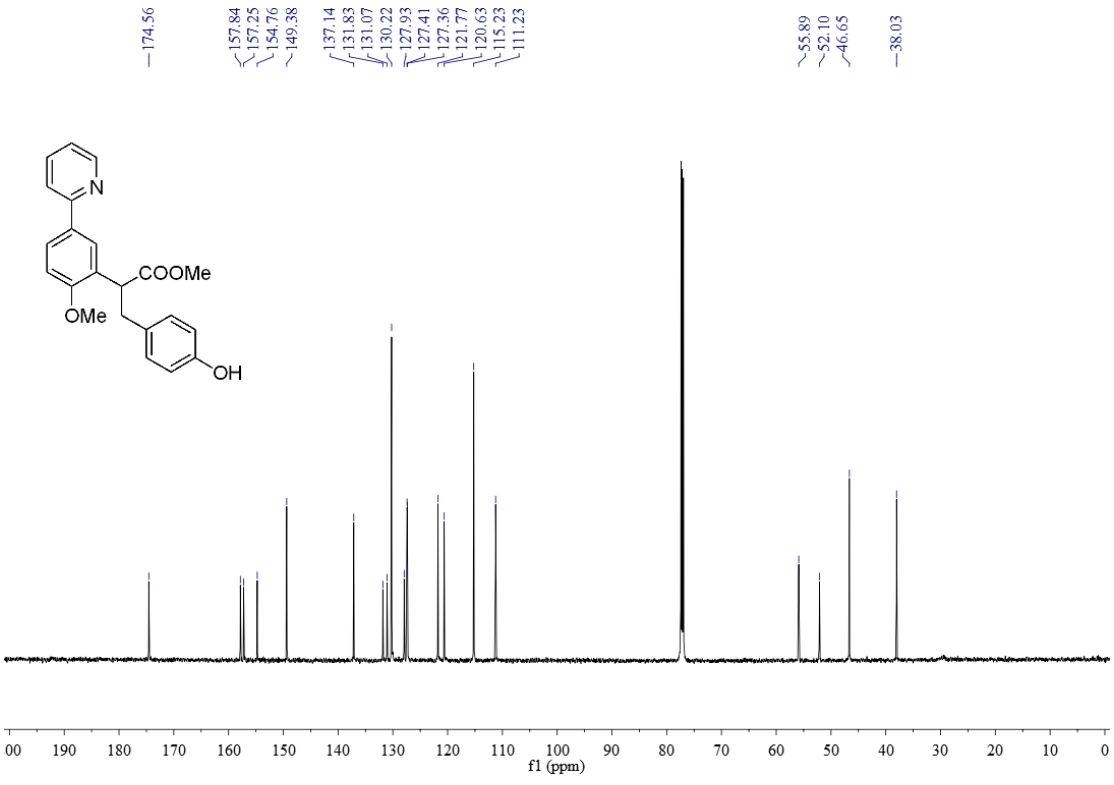
^{13}C NMR of **4f**



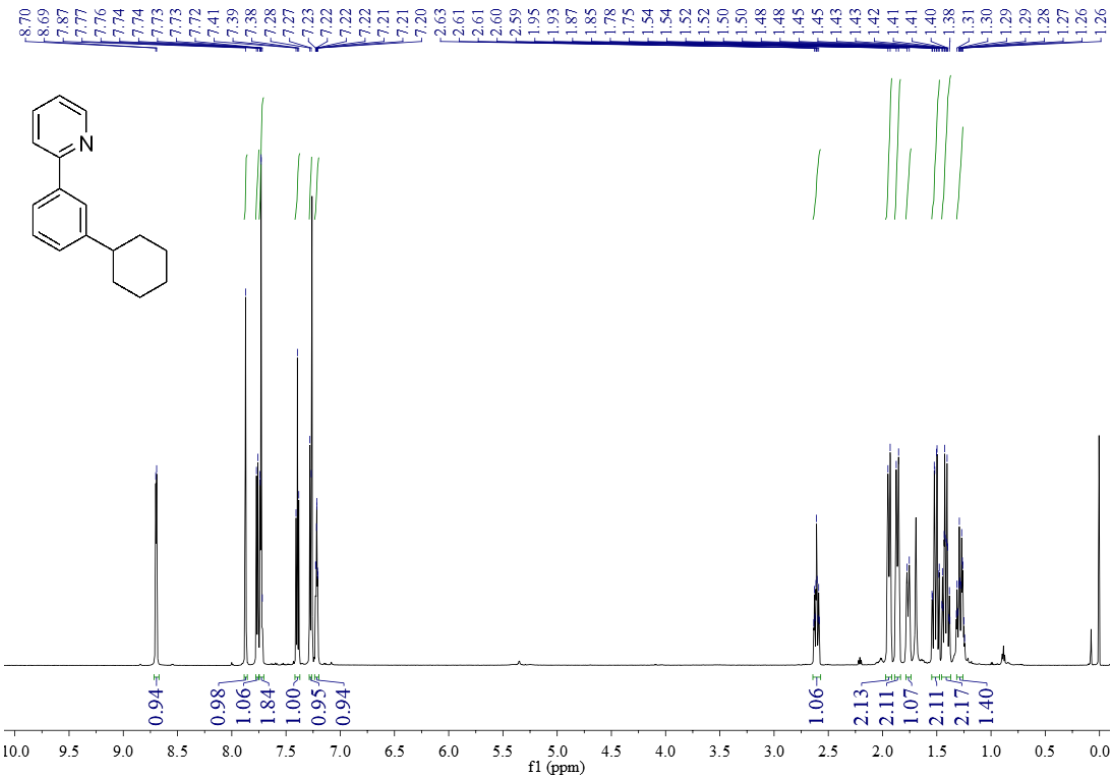
^{1}H NMR of **4g**



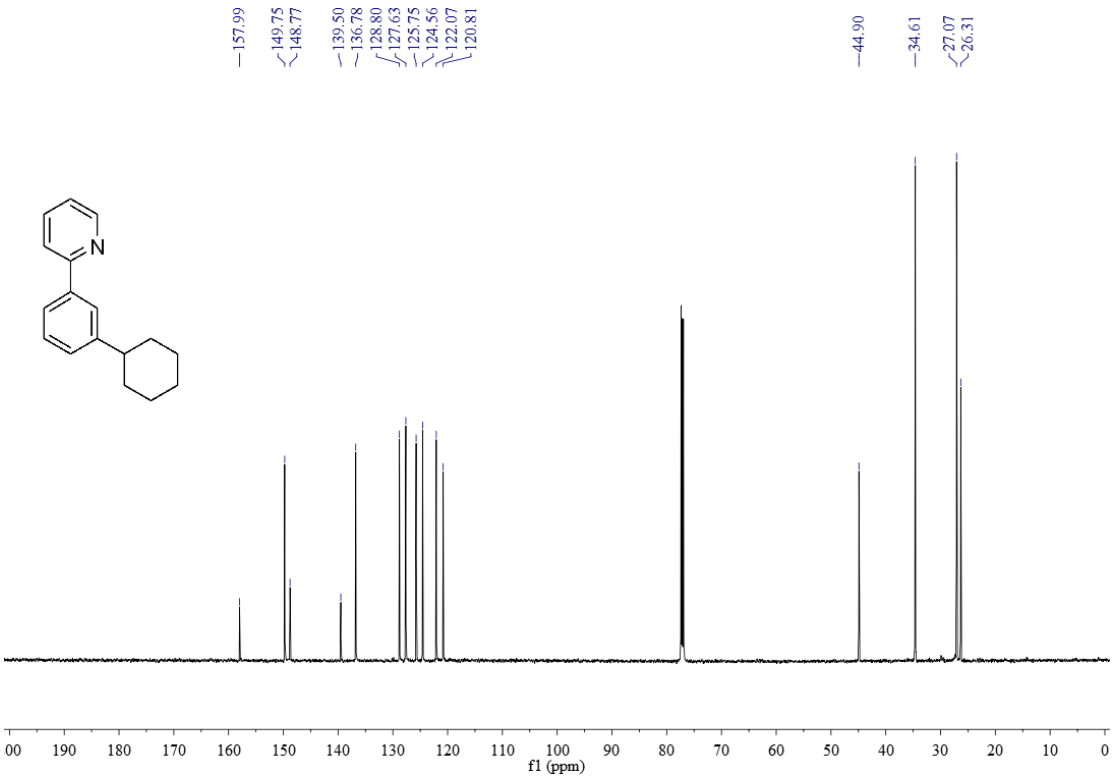
^{13}C NMR of **4g**



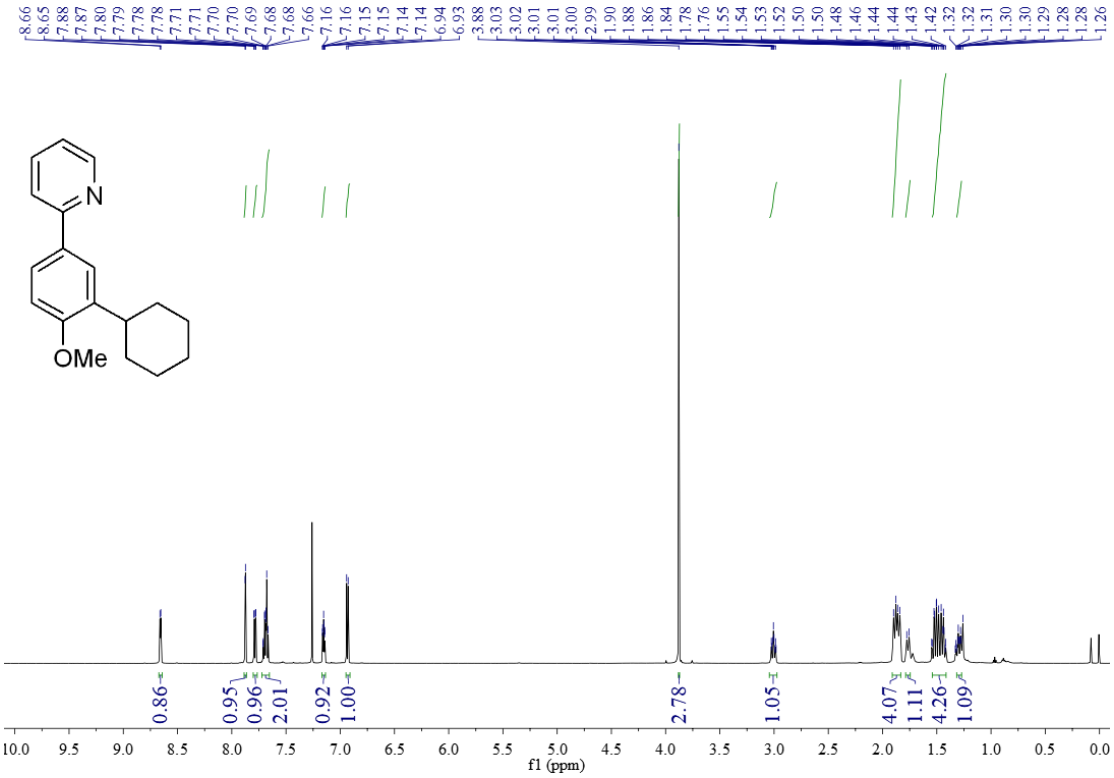
^{1}H NMR of **4h**



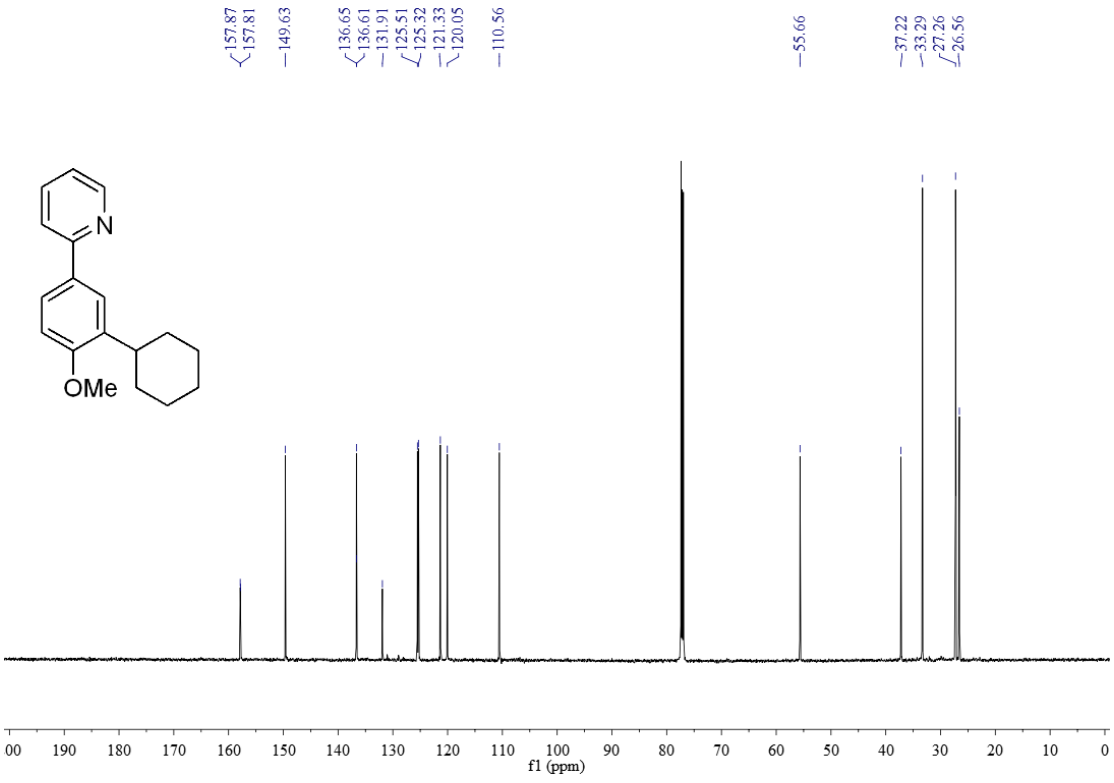
^{13}C NMR of **4h**



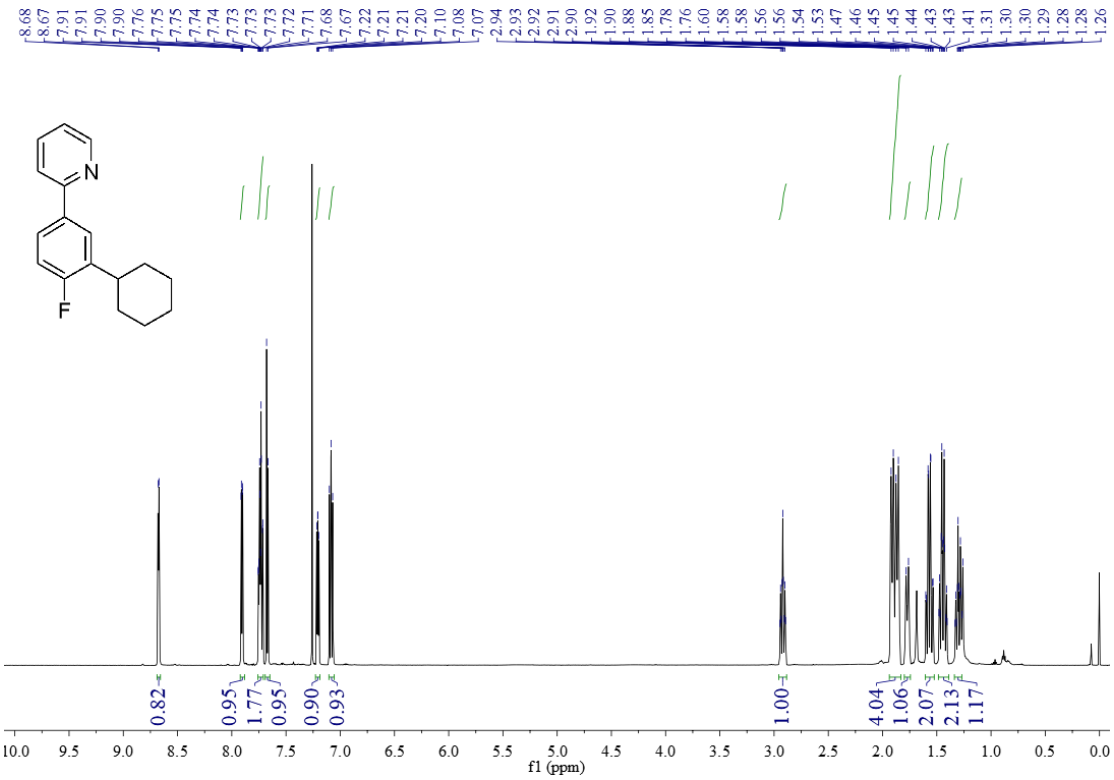
^{1}H NMR of **4i**



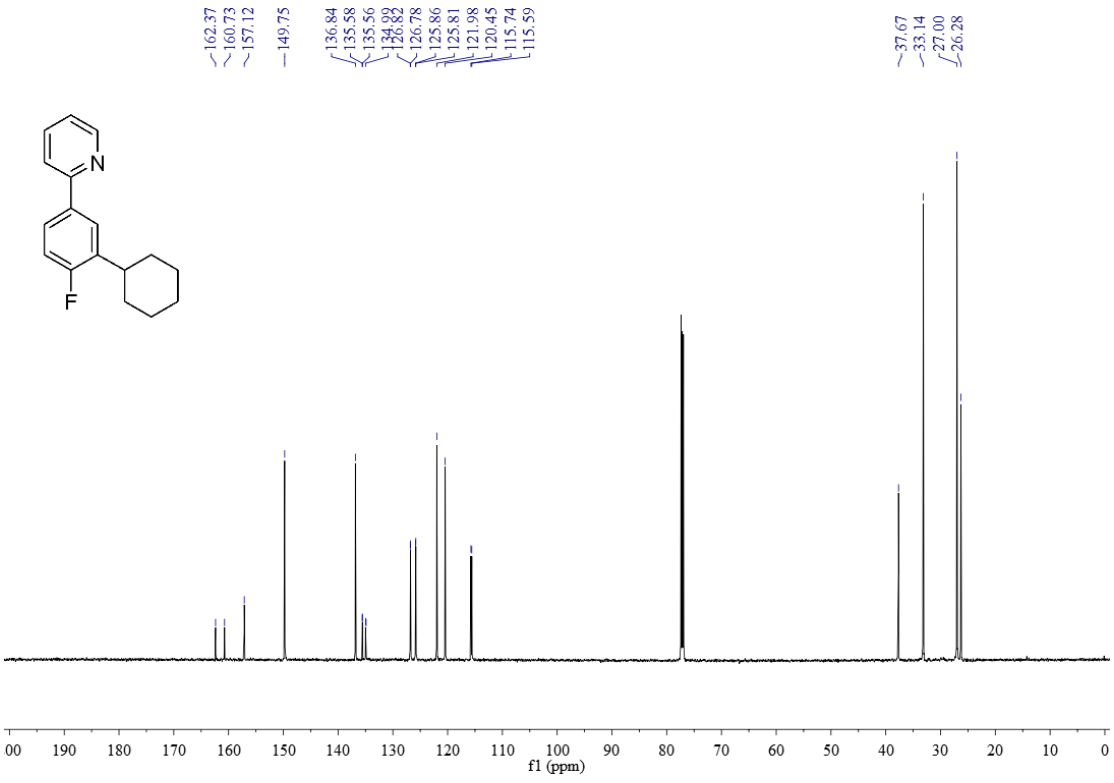
^{13}C NMR of **4i**



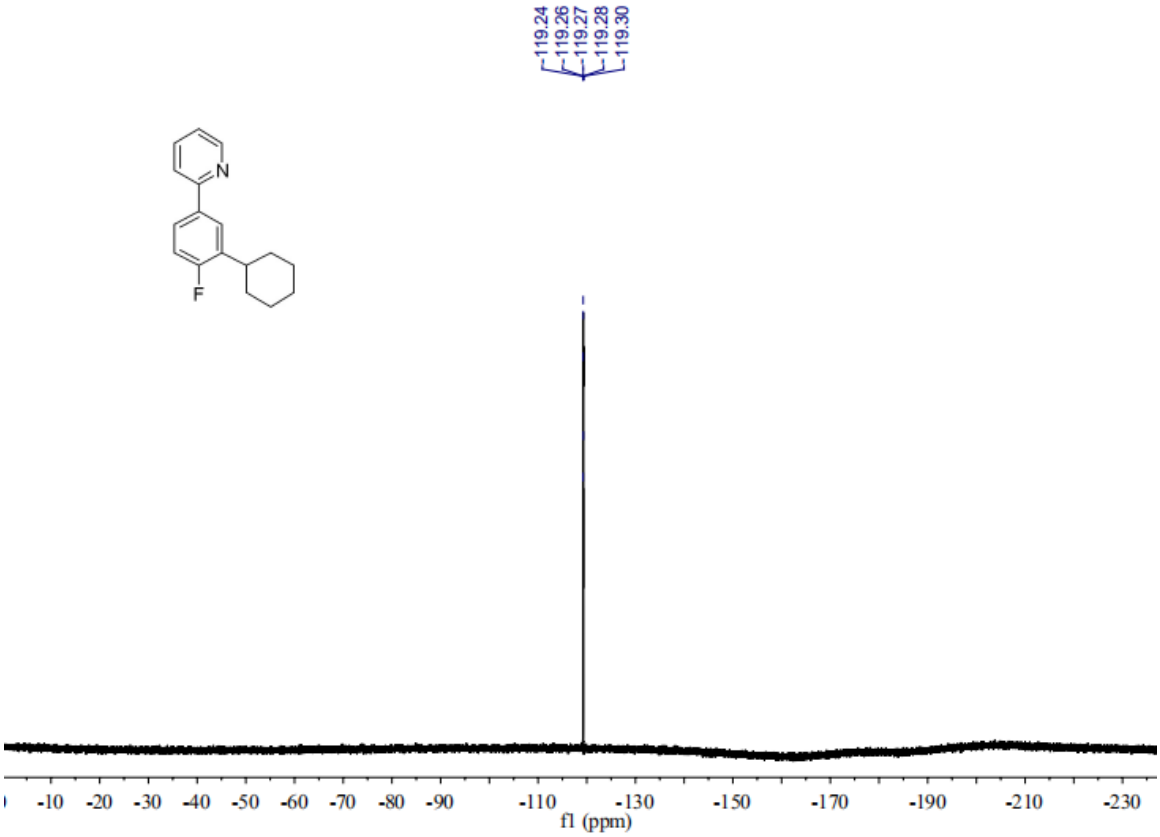
^{1}H NMR of **4j**



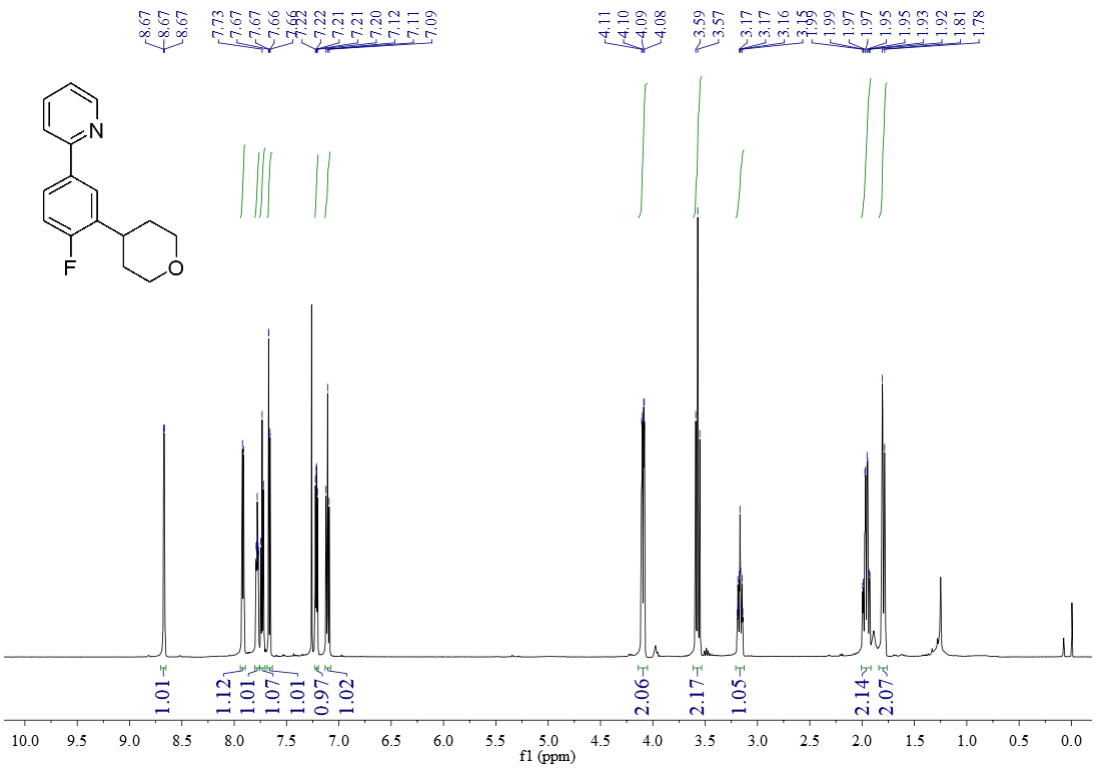
^{13}C NMR of **4j**



^{19}F NMR of **4j**



^{1}H NMR of **4k**



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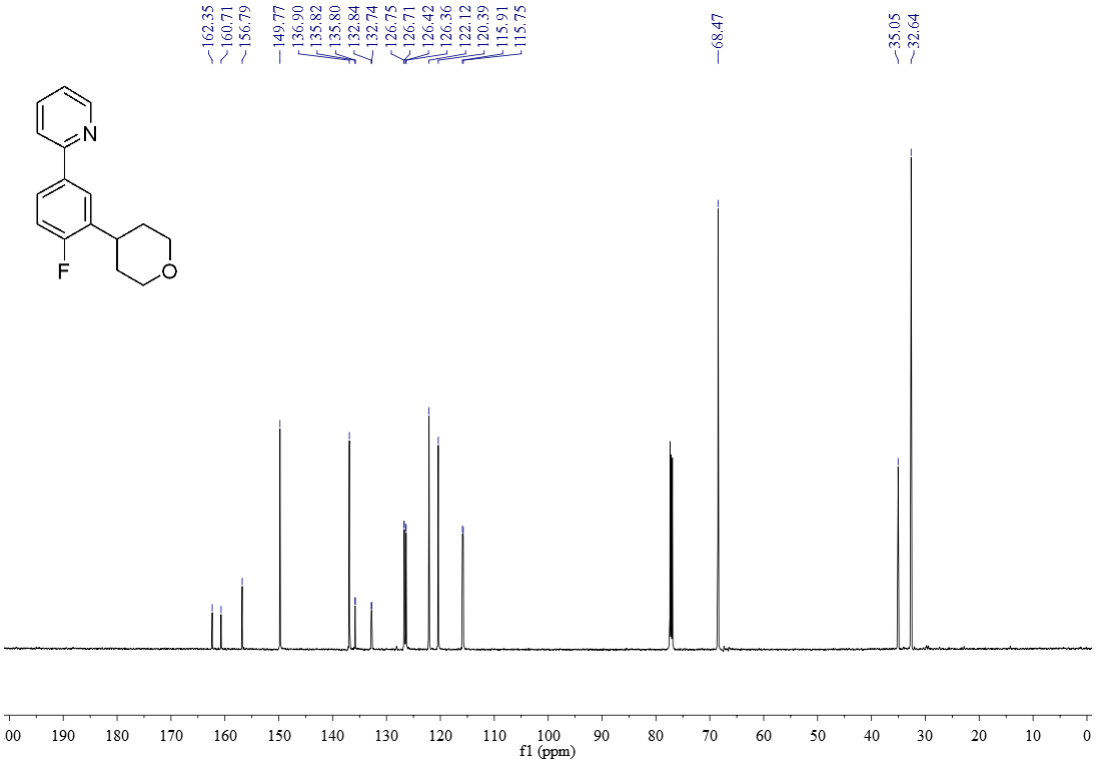

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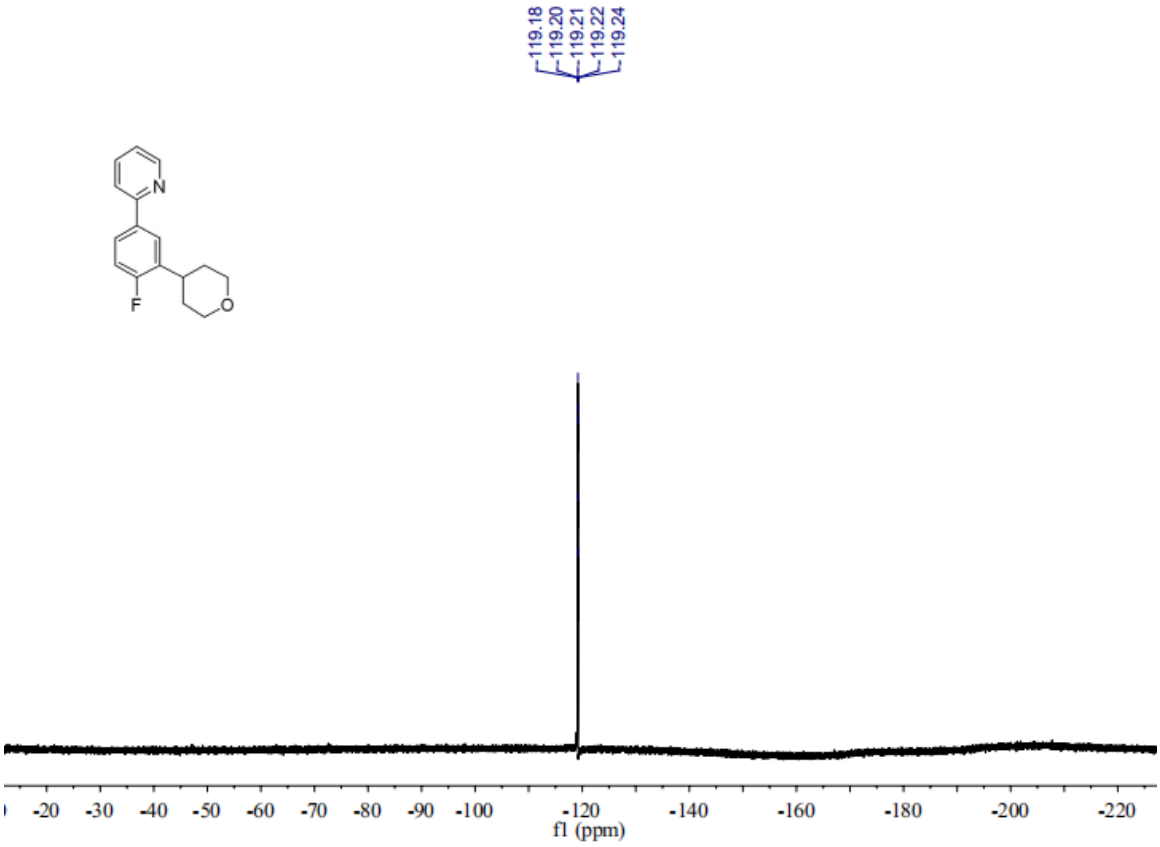
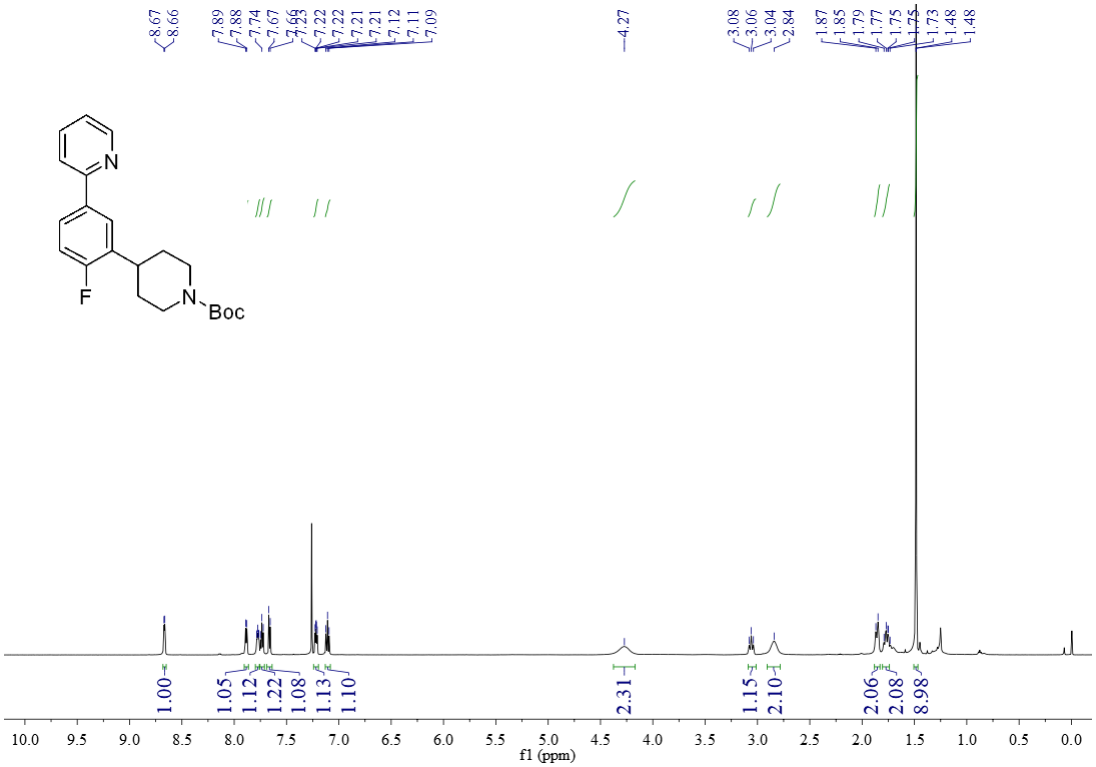


^{1}H NMR of **4l**



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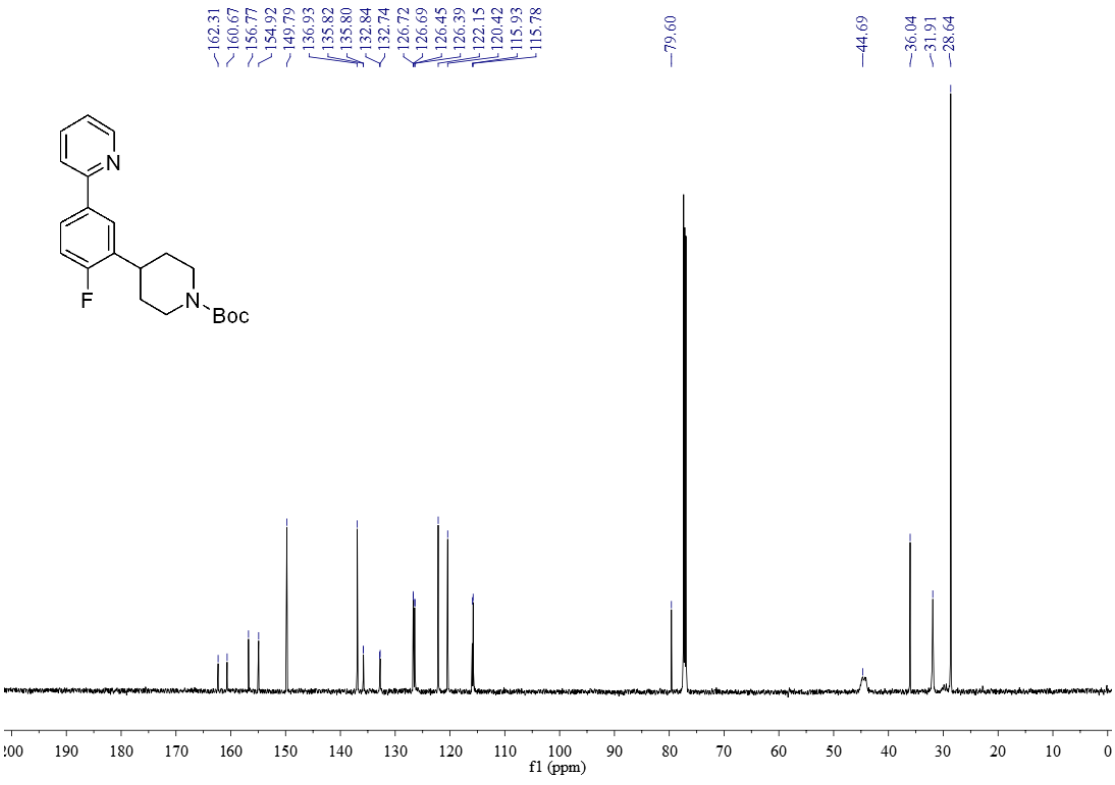
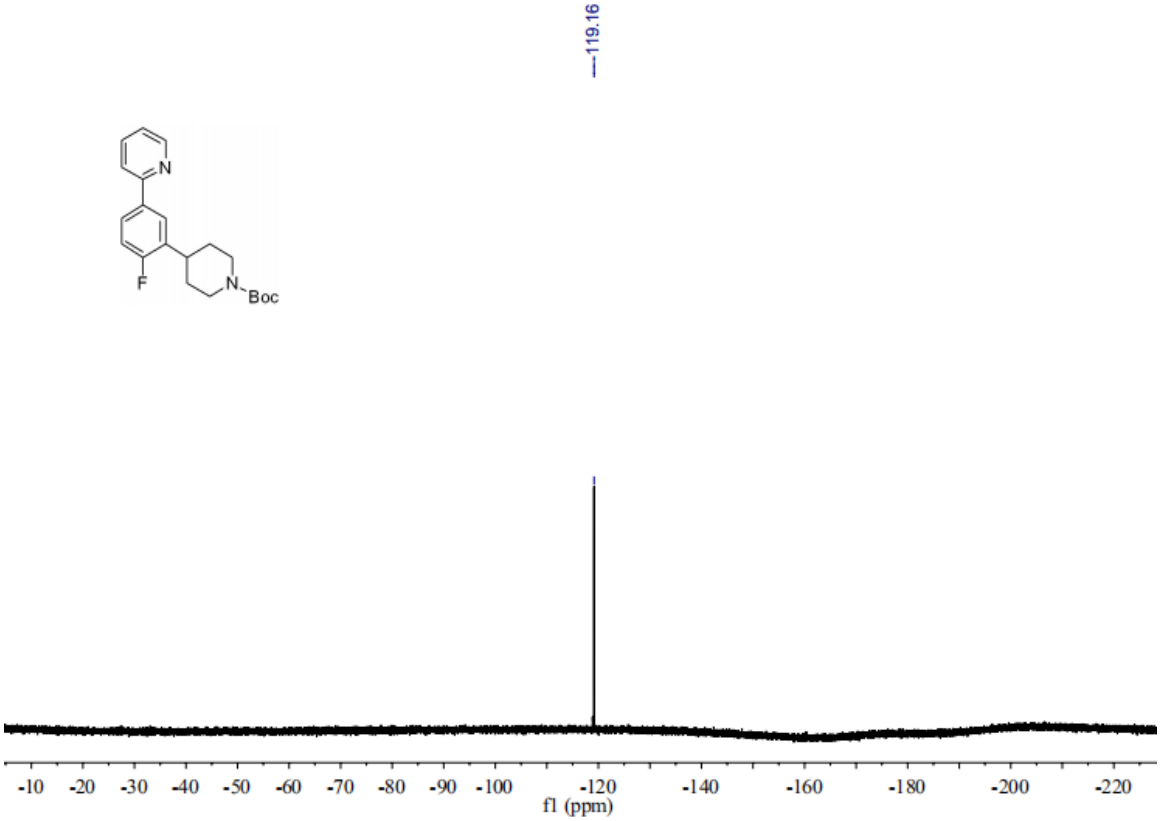
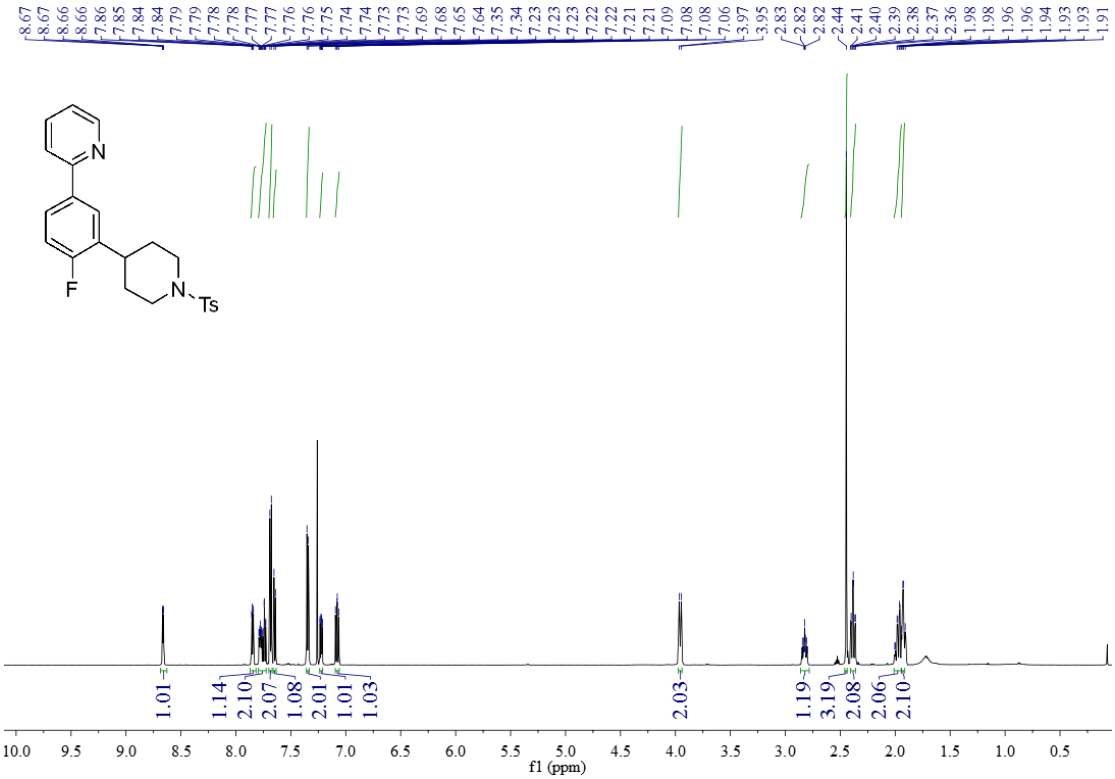


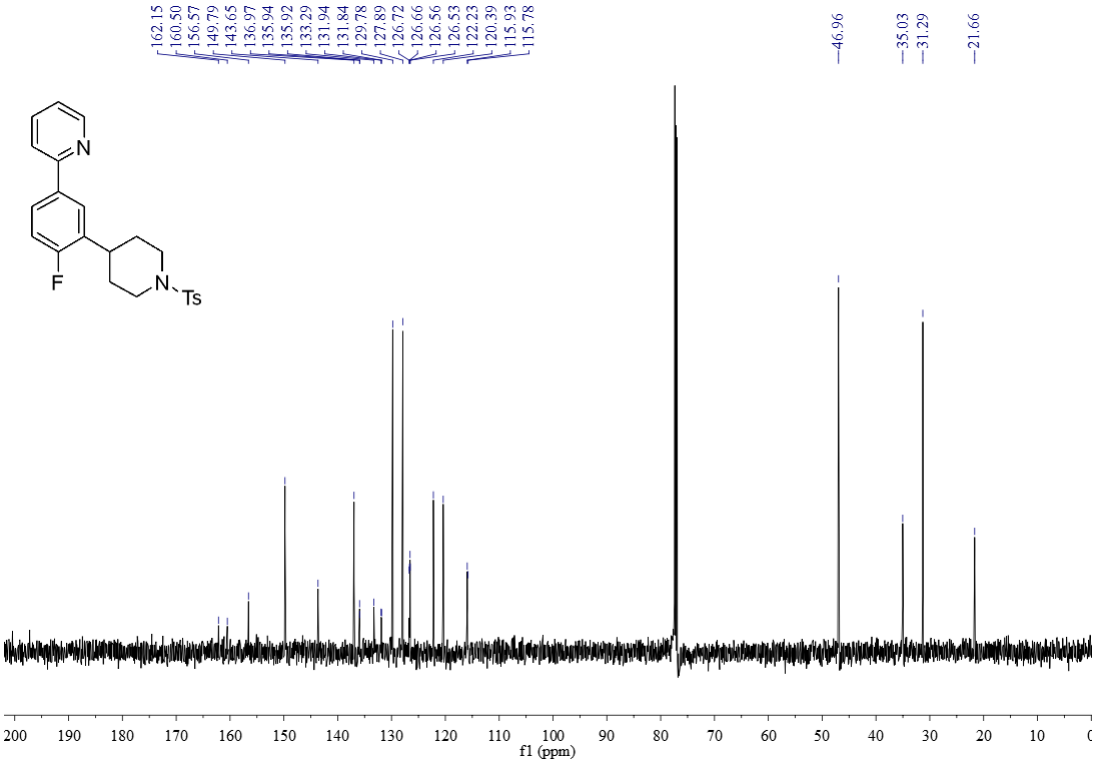
^{19}F NMR of **4l**



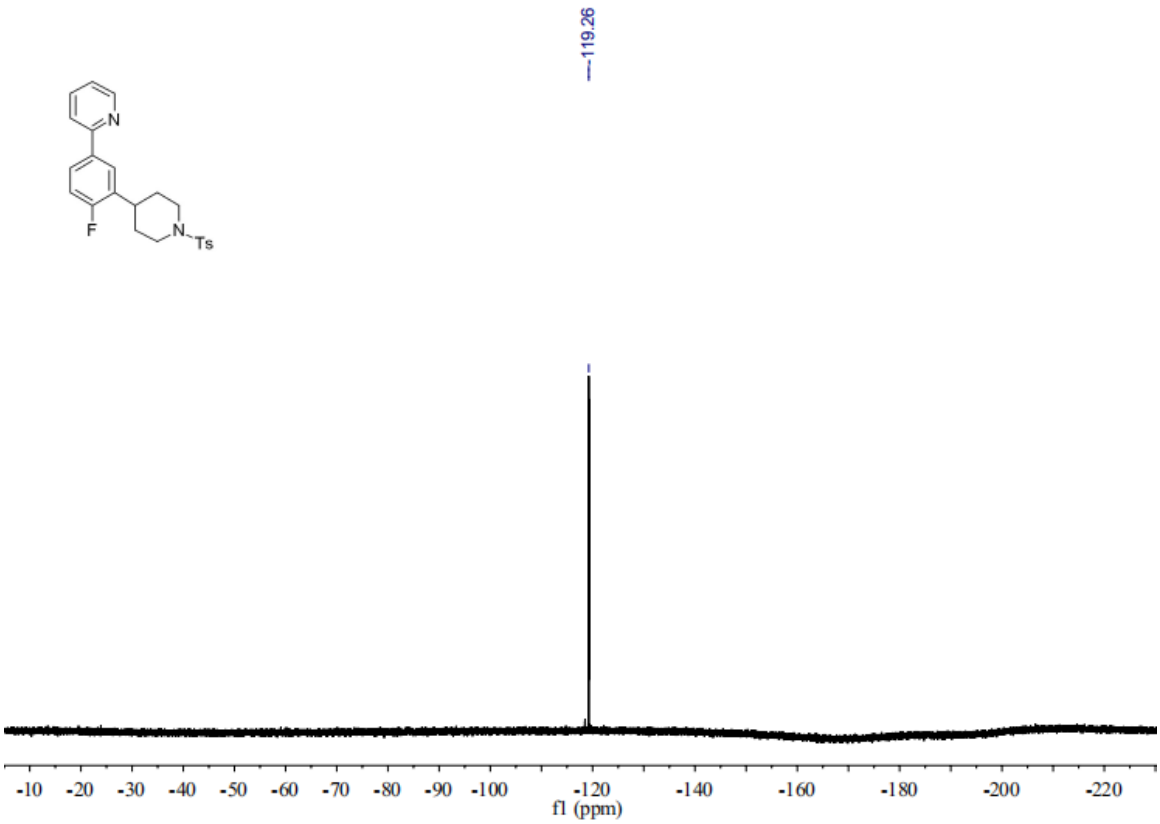
^{1}H NMR of **4m**



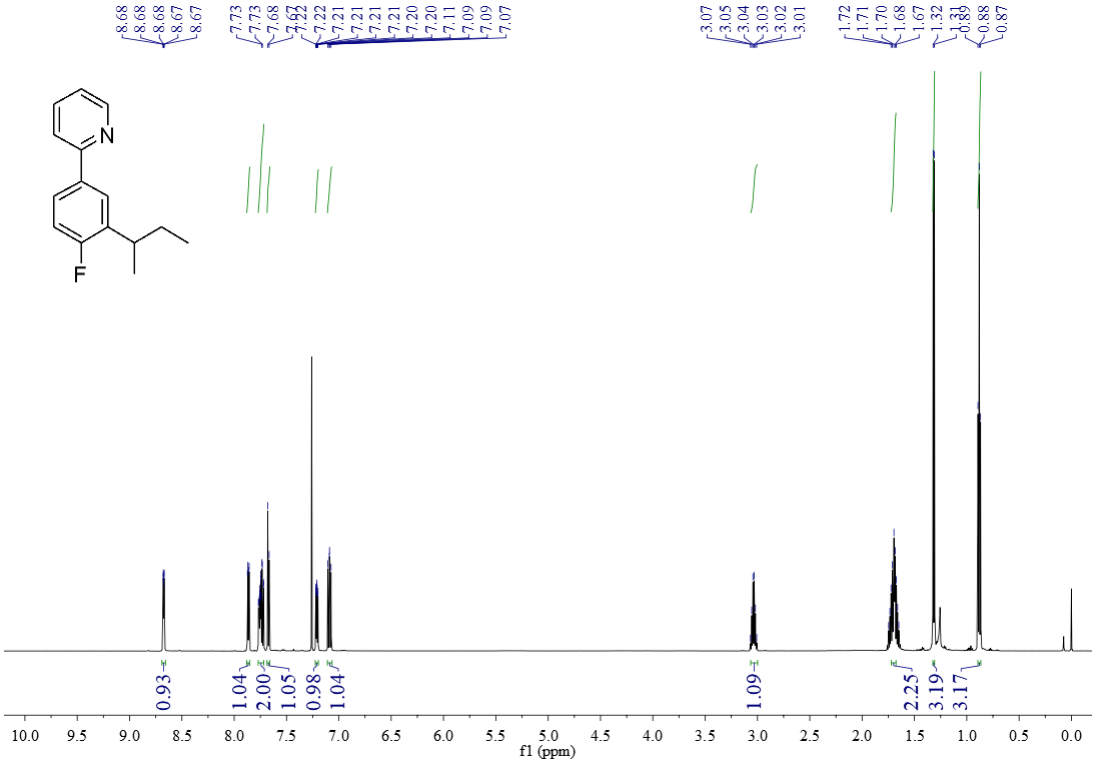
^{13}C NMR of **4m**



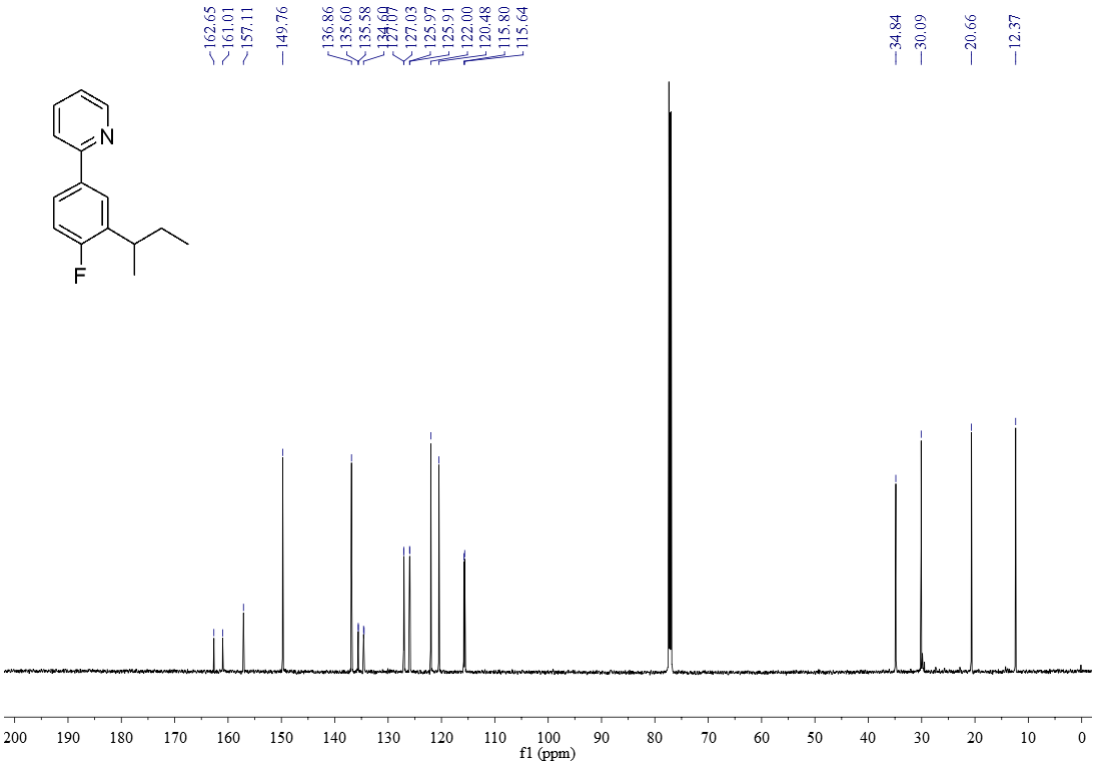
^{19}F NMR of **4m**



^{1}H NMR of **4n**

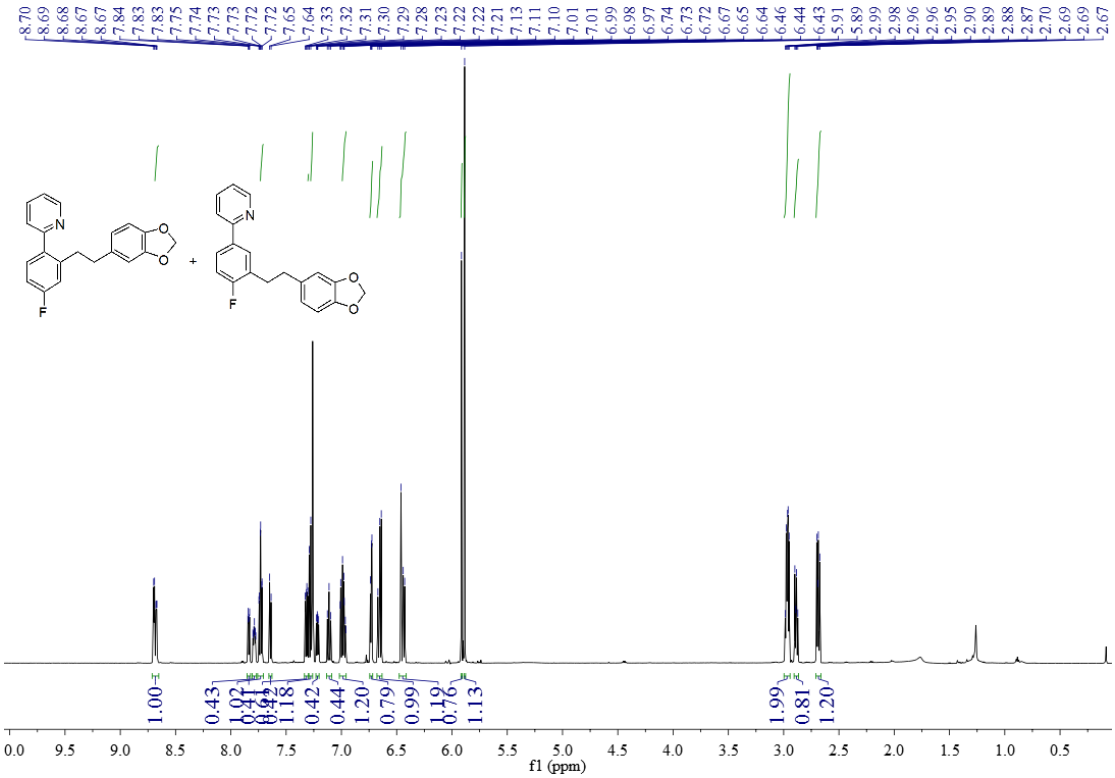


^{13}C NMR of **4n**

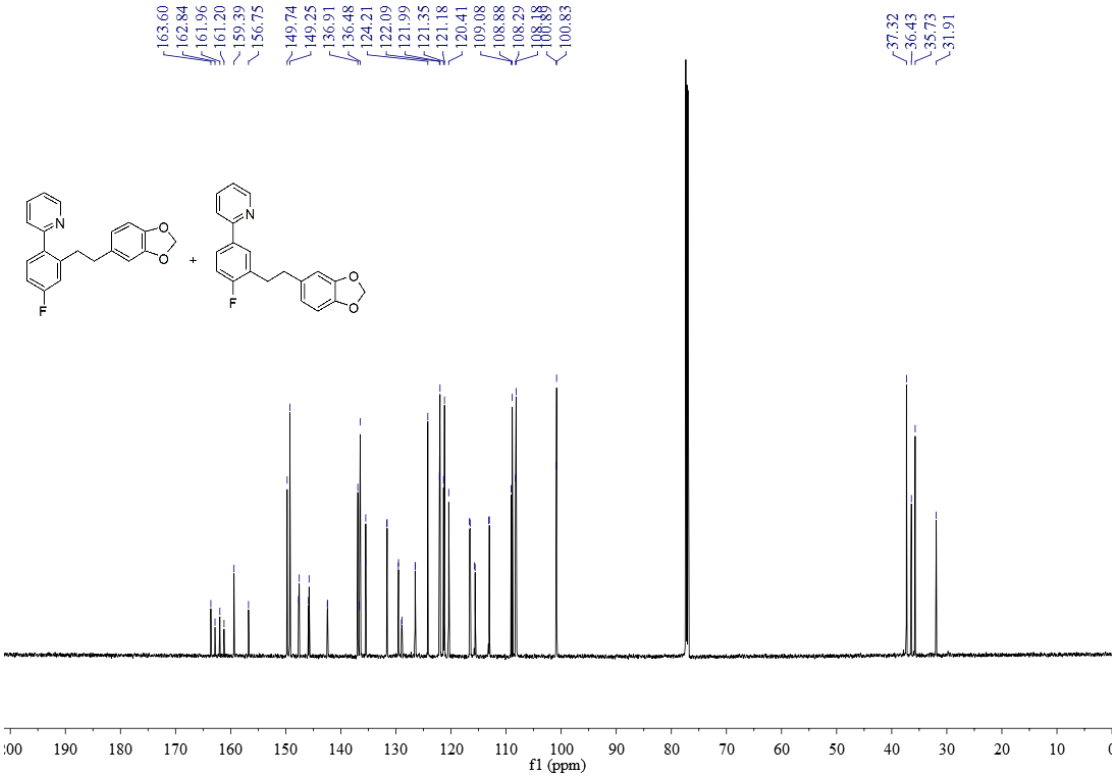


^{19}F NMR of **4n**

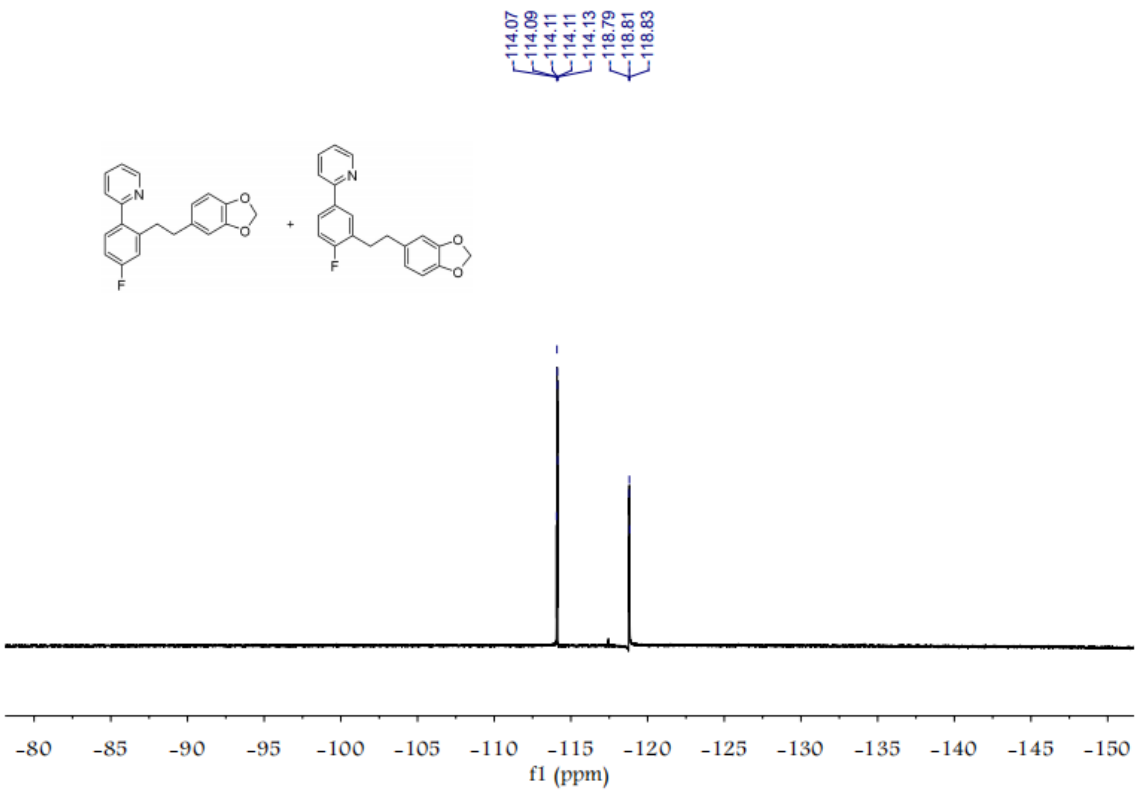
^{1}H NMR of **4o**



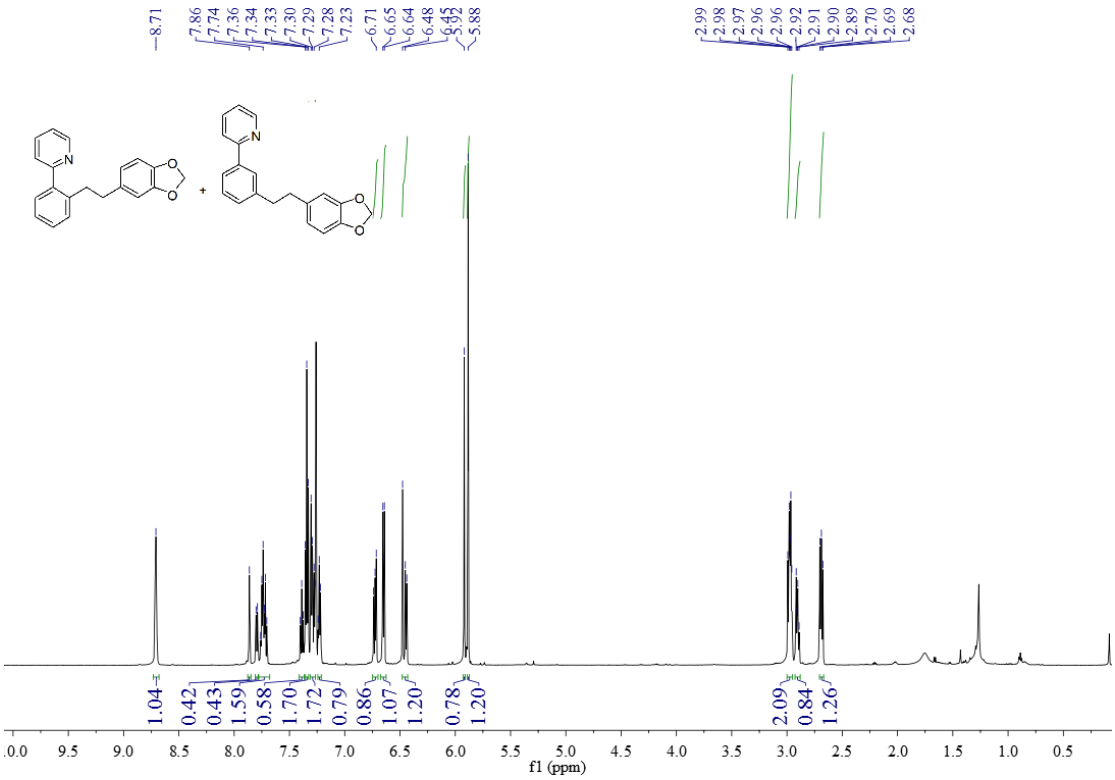
^{13}C NMR of **4o**



^{19}F NMR of **4o**



^{1}H NMR of **4p**



^{13}C NMR of **4p**