

Supporting Information

for

Construction and transformations of 2,2-difluoro-2,3-dihydrofurans from enaminones and difluorocarbene

Heyun Sheng^a, Zhiwei Chen^a, Xue Li^a, Jianke Su^a and Qiuling Song^{a, b, c*}

^aInstitute of Next Generation Matter Transformation, College of Material Sciences Engineering at Huaqiao University, 668 Jimei Blvd, Xiamen, Fujian, 361021, E-mail: qsong@hqu.edu.cn

^bSchool of Chemistry and Chemical Engineering, Henan Normal University, Xinxiang, Henan, 453007

^cGuangdong Provincial Key Laboratory of Catalysis, Southern University of Science and Technology, Shenzhen, Guangdong, 518055.

Table of Contents

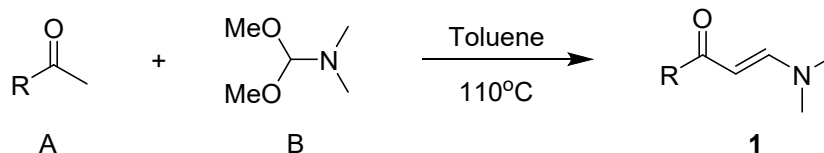
1. General Information.....	3
2. Material Synthesis	4
General procedure A for the synthesis of enaminones.....	4
General procedure B for the synthesis of enaminones	4
3. Experimental Procedures.....	5
Conditions A.....	5
Conditions B.....	5
Conditions C.....	6
Conditions D.....	6
4. Explorations and optimization	6
5. Characterization of Products.....	8
6. Crystal Data	60
Crystal data of 4r	60
Crystal data of 5k.....	62
Crystal data of 5u.....	64
7. NMR Spectra.....	66

1. General Information

All chemicals were purchased from Adamas Reagent, Ltd, Energy chemical company, J&K Scientific Ltd, Alfa Aesa chemical company and so forth. Anhydrous solvents are commercially available (energy) and stored in a glove box. Unless otherwise stated, all experiments were conducted in a seal tube under air atmosphere. Reactions were monitored by TLC or GC-MS analysis. Flash column chromatography was performed over silica gel (200-300 mesh). $^1\text{H-NMR}$ and $^{13}\text{C-NMR}$ spectra were recorded in CDCl_3 on a Bruker Avance 500 spectrometer (500 MHz ^1H , 126 MHz ^{13}C , 471 MHz ^{19}F) at room temperature. Chemical shifts were reported in ppm on the scale relative to CDCl_3 ($\delta = 7.26$ for $^1\text{H-NMR}$, $\delta = 77.00$ for $^{13}\text{C-NMR}$) as an internal reference. Chemical shifts were reported in ppm on the scale relative to DMSO-d_6 ($\delta = 2.51$ for $^1\text{H-NMR}$, $\delta = 40.00$ for $^{13}\text{C-NMR}$) as an internal reference. High resolution mass spectra were recorded using Q-TOF time-of-flight mass spectrometer. Coupling constants (J) were reported in Hertz (Hz). Oil bath was used as heating source.

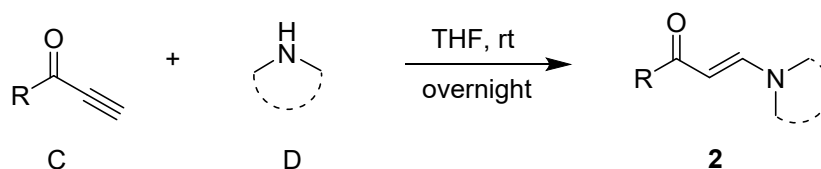
2. Material Synthesis

General procedure A for the synthesis of enaminones



To a stirred solution of ketone **A** (5.0 mmol, 1.0 equiv.) in toluene (5 mL), 1,1-dimethoxy N, N-dimethylmethanamine **B** (7.0 mmol, 1.4 equiv.) was added and stirred at 110 °C. After completion of the reaction (monitored by TLC), it was quenched with water, extracted with ethyl acetate and dried with anhydrous Na₂SO₄. Then the reaction mixture was concentrated under reduced pressure and purified by column chromatography (petroleum ether: ethyl acetate = 1: 1) to give the desired product **1**.

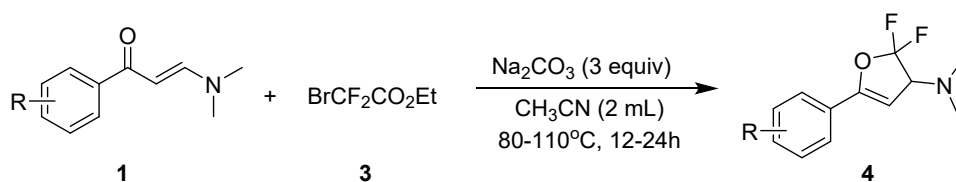
General procedure B for the synthesis of enaminones



To a stirred solution of **C** (5.0 mmol, 1.0 equiv.) in THF (10 mL), amine **D** (12.0 mmol, 2.4 equiv.) was added dropwise and stirred at room temperature. After completion of the reaction (monitored by TLC), the reaction mixture is concentrated under reduced pressure and purified by column chromatography (petroleum ether: ethyl acetate) to give the desired product **2**.

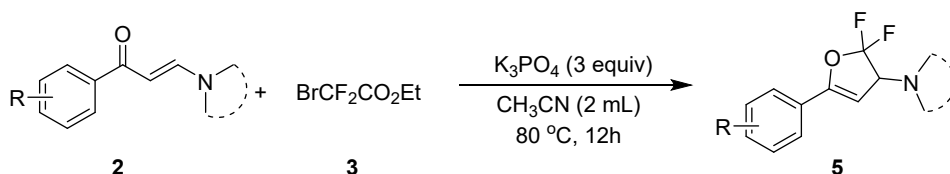
3. Experimental Procedures

Conditions A



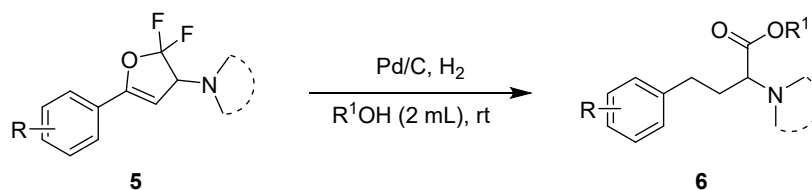
In air, a 25 mL Schlenk tube was charged with **1** (0.2 mmol, 1 equiv) and Na_2CO_3 (63.6 mg, 3 equiv). The tube was evacuated and filled with nitrogen three times. Then, CH_3CN (2 mL) and $\text{BrCF}_2\text{CO}_2\text{Et}$ (103 μL , 4 equiv) were added and immersed into an oil bath preheated at $80-110^\circ\text{C}$. The reaction was allowed to stir for 12-24 h. Upon completion, removal of the solvent, the crude reaction mixture was purified on silica gel (petroleum ether: ethyl acetate) to afford the desired product **4**.

Conditions B



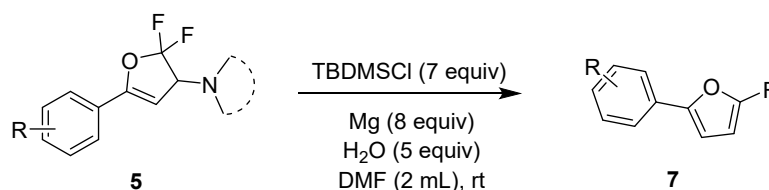
In air, a 25 mL Schlenk tube was charged with **2** (0.2 mmol, 1 equiv) and K_3PO_4 (127.2 mg, 3 equiv). The tube was evacuated and filled with nitrogen three times. Then, CH_3CN (2 mL) and $\text{BrCF}_2\text{CO}_2\text{Et}$ (77 μL , 3 equiv) were added and immersed into an oil bath preheated at 80°C . The reaction was allowed to stir for 12 h. Upon completion, removal of the solvent, the crude reaction mixture was purified on silica gel (petroleum ether: ethyl acetate) to afford the desired product **5**.

Conditions C



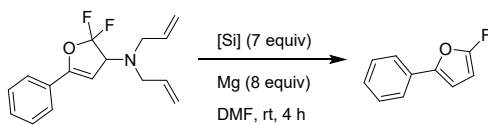
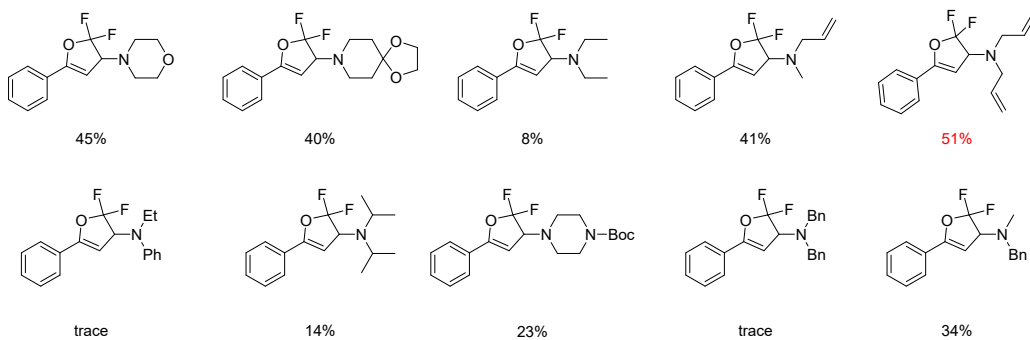
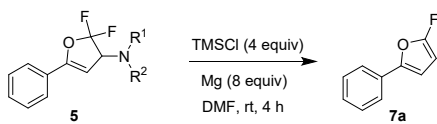
In air, a 25 mL Schlenk tube was charged with 5% Pd/C (20 mg), and the Schlenk tube was evacuated and filled with hydrogen. compound **5** (0.2 mmol, 1 equiv) was dissolved in R¹OH and added under hydrogen atmosphere. subsequently, the reaction was allowed to stir for 12 h at room temperature. Upon completion, removal of the solvent, the crude reaction mixture was purified on silica gel (petroleum ether: ethyl acetate) to afford the desired product **6**.

Conditions D

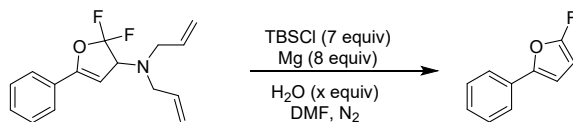


In air, a 25 mL Schlenk tube was charged with Mg (38.4 mg, 8 equiv). The tube was evacuated and filled with nitrogen three times. Compound **5** (0.2 mmol, 1 equiv) was weighed and dissolved in DMF. The weighed H₂O (18 μ L, 5 equiv) and tert-butyldimethylsilyl chloride (211.0 mg, 7 equiv) were added to the mixture in turn. the reaction was allowed to stir for 4 h at room temperature. After completion of the reaction, it was quenched with NH₄Cl, extracted with ethyl acetate and dried with anhydrous Na₂SO₄. Then the reaction mixture was concentrated under reduced pressure and purified by column chromatography (petroleum ether) to afford the desired product **7**.

4. Explorations and optimizations



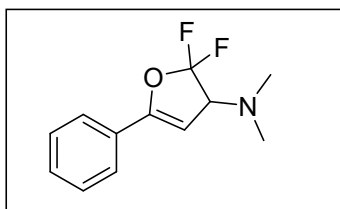
entry	[Si]	yield (%)
1	TBDMSCl	61
2	TIPSCl	53
3	TESCl	trace
4	Si 4	trace



entry	H ₂ O (x equiv)	yield(%)
1	0	61
2	5	82
3	10	78
4	20	trace

5. Characterization of Products

2,2-difluoro-N,N-dimethyl-5-phenyl-2,3-dihydrofuran-3-amine (4a)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 82% (36.9 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

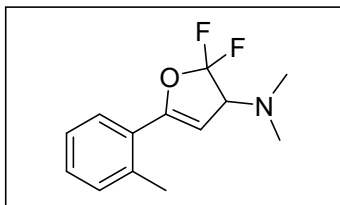
¹H NMR (500 MHz, Chloroform-*d*) δ 7.63 – 7.58 (m, 2H), 7.43 – 7.37 (m, 3H), 5.56 (t, *J* = 2.5 Hz, 1H), 4.16 (ddd, *J* = 15.1, 7.3, 2.7 Hz, 1H), 2.49 (s, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.3 (d, *J* = 150.8 Hz), -83.8 (d, *J* = 150.5 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.4 (d, *J* = 3.6 Hz), 131.224 (dd, *J* = 274.1, 271.2 Hz), 129.9, 128.6, 128.3, 125.4, 97.4 (d, *J* = 3.1 Hz), 71.1 (dd, *J* = 34.5, 19.0 Hz), 41.2 (d, *J* = 2.8 Hz).

HRMS (ESI) *m/z*: [*M*+*H*]⁺ Calcd. for C₁₂H₁₄F₂NO⁺ 226.1038; 226.1041

2,2-difluoro-N,N-dimethyl-5-(*o*-tolyl)-2,3-dihydrofuran-3-amine (4b)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 70% (33.5 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

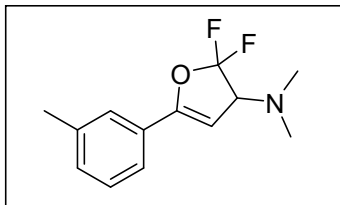
¹H NMR (500 MHz, Chloroform-*d*) δ 7.58 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.33 (td, *J* = 7.4, 1.5 Hz, 1H), 7.26 (td, *J* = 6.4, 5.9, 3.0 Hz, 2H), 5.37 (t, *J* = 2.4 Hz, 1H), 4.19 (ddd, *J* = 15.2, 7.2, 2.7 Hz, 1H), 2.54 (s, 6H), 2.49 (s, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.8 (d, *J* = 151.6 Hz), -85.0 (dd, *J* = 151.3, 3.0 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.6 (d, *J* = 3.6 Hz), 136.7, 131.1, 130.8 (dd, *J* = 273.2, 270.4 Hz), 129.7, 128.2, 128.1, 125.9, 101.8 (d, *J* = 2.8 Hz), 71.1 (dd, *J* = 34.6, 19.0 Hz), 41.2 (d, *J* = 2.7 Hz), 21.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₆F₂NO⁺ 240.1194; Found: 240.1193.

2,2-difluoro-N,N-dimethyl-5-(m-tolyl)-2,3-dihydrofuran-3-amine (4c)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 53% (25.3 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

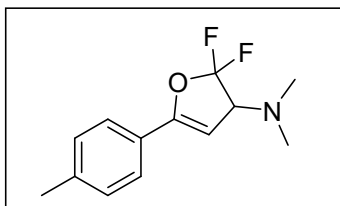
¹H NMR (500 MHz, Chloroform-*d*) δ 7.44 – 7.39 (m, 2H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 7.6 Hz, 1H), 5.53 (t, *J* = 2.4 Hz, 1H), 4.15 (ddd, *J* = 15.1, 7.3, 2.7 Hz, 1H), 2.49 (s, 6H), 2.38 (s, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.3 (d, *J* = 150.8 Hz), -83.9 (d, *J* = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.5 (d, *J* = 3.6 Hz), 138.3, 131.2 (dd, *J* = 273.2, 270.4 Hz), 130.7, 128.5, 128.2, 125.9, 122.5, 97.2 (d, *J* = 3.0 Hz), 71.0 (dd, *J* = 34.6, 18.9 Hz), 41.1 (d, *J* = 3.2 Hz), 21.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₆F₂NO⁺ 240.1194; Found: 240.1196.

2,2-difluoro-N,N-dimethyl-5-(p-tolyl)-2,3-dihydrofuran-3-amine (4d)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 65% (31.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

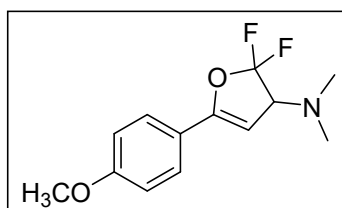
¹H NMR (500 MHz, Chloroform-*d*) δ 7.50 (d, *J* = 8.2 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 5.48 (t, *J* = 2.4 Hz, 1H), 4.14 (ddd, *J* = 15.1, 7.2, 2.7 Hz, 1H), 2.48 (d, *J* = 1.2 Hz, 6H), 2.38 (s, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.3 (d, *J* = 150.8 Hz), -83.9 (d, *J* = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.5 (d, *J* = 3.8 Hz), 140.2, 131.2 (dd, *J* = 273.8, 270.9 Hz), 129.3, 125.5, 125.3, 96.4 (d, *J* = 3.0 Hz), 71.1 (dd, *J* = 34.6, 19.0 Hz), 41.1 (d, *J* = 2.8 Hz), 21.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₆F₂NO⁺ 240.1194; Found: 240.1194.

2,2-difluoro-5-(4-methoxyphenyl)-N, N-dimethyl-2,3-dihydrofuran-3-amine (4e)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 71% (36.2 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

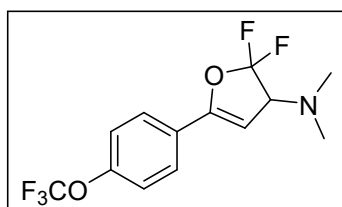
¹H NMR (500 MHz, Chloroform-*d*) δ 7.54 (d, *J* = 8.9 Hz, 2H), 6.91 (d, *J* = 8.9 Hz, 2H), 5.39 (t, *J* = 2.4 Hz, 1H), 4.13 (ddd, *J* = 15.0, 7.1, 2.8 Hz, 1H), 3.83 (s, 3H), 2.48 (d, *J* = 1.1 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.3 (d, *J* = 150.9 Hz), -84.0 (d, *J* = 151.1 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 160.9, 154.3 (d, *J* = 3.7 Hz), 131.2 (dd, *J* = 273.5, 271.1 Hz), 126.9, 120.9, 114.0, 95.2 (d, *J* = 3.0 Hz), 71.1 (dd, *J* = 34.6, 18.9 Hz), 55.4, 41.1.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₆F₂NO₂⁺ 256.1144; Found: 256.1142.

2,2-difluoro-N, N-dimethyl-5-(4-(trifluoromethoxy) phenyl)-2,3-dihydrofuran-3-amine (4f)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 71% (43.9 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

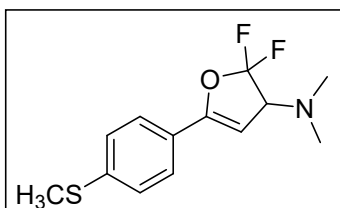
¹H NMR (500 MHz, Chloroform-*d*) δ 7.73 – 7.55 (m, 2H), 7.38 – 7.15 (m, 2H), 5.59 (t, *J* = 2.4 Hz, 1H), 4.19 (ddd, *J* = 15.0, 7.5, 2.8 Hz, 1H), 2.51 (d, *J* = 1.2 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -57.8, -61.4 (d, *J* = 150.7 Hz), -83.7 (d, *J* = 150.7 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.1, 150.2, 131.1 (dd, *J* = 273.5, 271.1 Hz), δ 127.00, 126.86, 120.96, 120.38 (q, *J* = 258.1 Hz), 98.19 (d, *J* = 3.1 Hz), 71.07 (dd, *J* = 34.6, 19.0 Hz), 41.09 (d, *J* = 2.3 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₃F₅NO₂⁺ 310.0861; Found: 310.0862.

2,2-difluoro-N, N-dimethyl-5-(4-(methylthio) phenyl)-2,3-dihydrofuran-3-amine (4g)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 46% (25.0 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

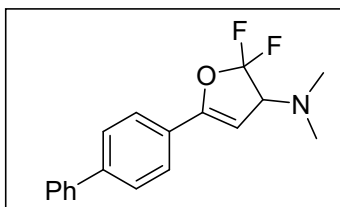
¹H NMR (500 MHz, Chloroform-*d*) δ 7.53 – 7.48 (m, 2H), 7.25 – 7.22 (m, 2H), 5.49 (t, $J = 2.5$ Hz, 1H), 4.13 (ddd, $J = 15.0, 7.3, 2.7$ Hz, 1H), 2.50 (s, 3H), 2.48 (d, $J = 1.2$ Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.4 (d, $J = 151.2$ Hz), -83.8 (d, $J = 150.8$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.0 (d, $J = 3.9$ Hz), 141.3, 131.2 (dd, $J = 274.1, 271.1$ Hz), 125.9, 125.7, 124.8, 96.7 (d, $J = 3.1$ Hz), 71.1 (dd, $J = 34.5, 19.0$ Hz), 41.1 (d, $J = 3.2$ Hz), 15.3.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₆F₂NOS⁺ 272.0915; Found: 272.0917.

5-([1,1'-biphenyl]-4-yl)-2,2-difluoro-N, N-dimethyl-2,3-dihydrofuran-3-amine (4h)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 141 – 143 °C), yield: 76% (25.3 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

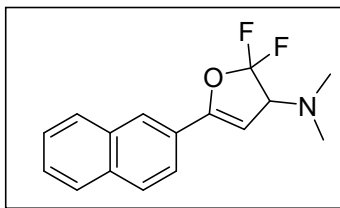
¹H NMR (500 MHz, Chloroform-*d*) δ 7.69 (d, $J = 8.5$ Hz, 2H), 7.66 – 7.60 (m, 4H), 7.50 – 7.44 (m, 2H), 7.41 – 7.36 (m, 1H), 5.60 (t, $J = 2.5$ Hz, 1H), 4.19 (ddd, $J = 15.0, 7.3, 2.7$ Hz, 1H), 2.51 (s, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.3 (d, $J = 150.8$ Hz), -83.8 (dd, $J = 150.7, 2.7$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.2 (d, $J = 3.7$ Hz), 142.7, 140.2, 131.3 (dd, $J = 274.1, 271.4$ Hz), 128.9, 127.8, 127.3, 127.1, 127.1, 125.8, 97.5 (d, $J = 3.1$ Hz), 71.1 (dd, $J = 34.5, 19.0$ Hz), 41.2 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₈H₁₈F₂NO⁺ 302.1351; Found: 302.1349.

2,2-difluoro-N, N-dimethyl-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (4i)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 64% (28.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

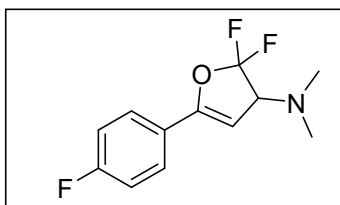
¹H NMR (500 MHz, Chloroform-*d*) δ 8.10 (d, $J = 1.7$ Hz, 1H), 7.92 – 7.80 (m, 3H), 7.64 (dd, $J = 8.8$, 1.8 Hz, 1H), 7.56 – 7.48 (m, 2H), 5.67 (t, $J = 2.5$ Hz, 1H), 4.21 (ddd, $J = 15.0$, 7.4, 2.7 Hz, 1H), 2.52 (s, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.3 (d, $J = 150.7$ Hz), -83.6 (d, $J = 150.8$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.4 (d, $J = 3.5$ Hz), 133.9, 133.0, 131.3 (dd, $J = 274.1$, 271.4 Hz), 128.7, 128.4, 127.7, 127.2, 126.8, 125.4, 125.2, 122.4, 98.1 (d, $J = 3.1$ Hz), 71.2 (dd, $J = 34.5$, 19.0 Hz), 41.2 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₆F₂NO⁺ 276.1194; Found: 276.1194.

2,2-difluoro-5-(4-fluorophenyl)-N,N-dimethyl-2,3-dihydrofuran-3-amine (4j)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 68% (33.0 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

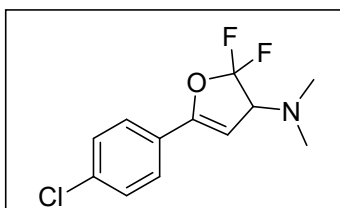
¹H NMR (500 MHz, Chloroform-*d*) δ 7.59 (dd, $J = 8.9$, 5.3 Hz, 2H), 7.08 (t, $J = 8.7$ Hz, 2H), 5.48 (t, $J = 2.5$ Hz, 1H), 4.14 (ddd, $J = 15.0$, 7.4, 2.7 Hz, 1H), 2.48 (d, $J = 1.2$ Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.4 (d, $J = 150.7$ Hz), -83.8 (d, $J = 150.7$ Hz), -110.0.

¹³C NMR (126 MHz, Chloroform-*d*) δ 163.6 (d, $J = 250.6$ Hz), 153.4 (d, $J = 4.0$ Hz), 131.2 (dd, $J = 274.5$, 271.5 Hz), 127.4 (d, $J = 8.5$ Hz), 124.5 (d, $J = 3.2$ Hz), 115.7 (d, $J = 22.0$ Hz), 97.1 (t, $J = 2.7$ Hz), 71.1 (dd, $J = 34.4$, 18.9 Hz), 41.1 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₂H₁₃F₃NO⁺ 244.0944; Found: 244.0942.

5-(4-chlorophenyl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran-3-amine (4k)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 69% (35.7 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

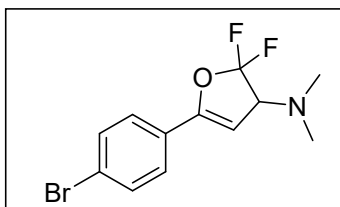
¹H NMR (500 MHz, Chloroform-*d*) δ 7.55 (d, *J* = 8.7 Hz, 2H), 7.39 (d, *J* = 8.6 Hz, 2H), 5.57 (t, *J* = 2.5 Hz, 1H), 4.17 (ddd, *J* = 15.0, 7.5, 2.7 Hz, 1H), 2.50 (d, *J* = 1.3 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.4 (d, *J* = 150.2 Hz), -83.7 (d, *J* = 150.7 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.3 (d, *J* = 3.8 Hz), 135.9, 131.1 (dd, *J* = 274.6, 271.7 Hz), 128.9, 126.7, 126.6, 98.0 (d, *J* = 2.9 Hz), 71.1 (dd, *J* = 34.5, 19.0 Hz), 41.2 (d, *J* = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₂H₁₃ClF₂NO⁺ 260.0648; Found: 260.0650.

5-(4-bromophenyl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran-3-amine (4l)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 69% (42.0 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

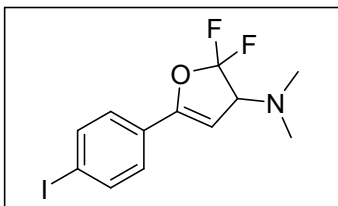
¹H NMR (500 MHz, Chloroform-*d*) δ 7.55 – 7.50 (m, 2H), 7.49 – 7.42 (m, 2H), 5.56 (t, *J* = 2.5 Hz, 1H), 4.13 (ddd, *J* = 15.0, 7.5, 2.7 Hz, 1H), 2.48 (s, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.4 (d, *J* = 150.5 Hz), -83.7 (d, *J* = 150.8 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.4 (d, *J* = 3.7 Hz), 131.8, 131.1 (dd, *J* = 274.7, 271.7 Hz), 127.1, 126.9, 124.2, 98.1 (d, *J* = 3.1 Hz), 71.1 (dd, *J* = 34.4, 19.0 Hz), 41.2 (d, *J* = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₂H₁₃BrF₂NO⁺ 304.0143; Found: 304.0144.

2,2-difluoro-5-(4-iodophenyl)-N,N-dimethyl-2,3-dihydrofuran-3-amine (4m)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 58% (40.7mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

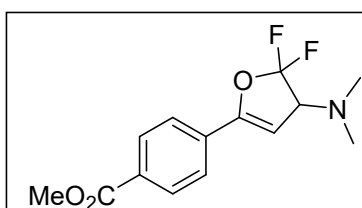
¹H NMR (500 MHz, Chloroform-*d*) δ 7.77 – 7.65 (m, 2H), 7.32 (d, J = 8.5 Hz, 2H), 5.57 (t, J = 2.4 Hz, 1H), 4.13 (ddd, J = 15.0, 7.5, 2.7 Hz, 1H), 2.47 (d, J = 1.2 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.4 (dd, J = 150.5, 5.4 Hz), -83.7 (dd, J = 150.2, 3.3 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.5 (d, J = 3.8 Hz), 137.8, 131.1 (dd, J = 274.7, 271.6 Hz), 127.7, 126.9, 98.3 (d, J = 3.1 Hz), 96.0, 71.1 (dd, J = 34.5, 19.0 Hz), 41.2 (d, J = 3.1 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₂H₁₃F₂INO⁺ 352.0004; Found: 352.0009.

methyl 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl) benzoate (4n)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 53% (30.0 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

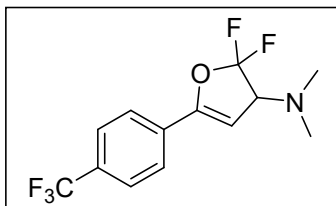
¹H NMR (500 MHz, Chloroform-*d*) ¹H NMR (500 MHz, Chloroform-*d*) δ 8.09 – 8.01 (m, 2H), 7.70 – 7.62 (m, 2H), 5.69 (t, J = 2.4 Hz, 1H), 4.21 – 4.13 (m, 1H), 3.92 (s, 3H), 2.48 (d, J = 1.2 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.4 (d, J = 150.9 Hz), -83.5 (d, J = 150.4 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 166.4, 153.4 (d, J = 3.7 Hz), 132.2, 131.2, 131.1 (dd, J = 275.0, 271.6 Hz), 129.8, 125.3, 100.0 (d, J = 3.1 Hz), 71.1 (dd, J = 34.4, 19.0 Hz), 52.28, 41.2 (d, J = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₄H₁₆F₂NO₃⁺ 284.1093; Found: 284.1097.

2,2-difluoro-N, N-dimethyl-5-(4-(trifluoromethyl) phenyl)-2,3-dihydrofuran-3-amine (4o)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 69% (40.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

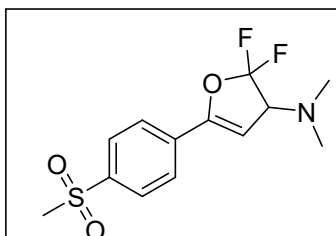
¹H NMR (500 MHz, Chloroform-*d*) δ 7.89 – 7.51 (m, 4H), 5.69 (t, $J = 2.5$ Hz, 1H), 4.18 (ddd, $J = 14.9, 7.6, 2.8$ Hz, 1H), 2.49 (s, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.5 (d, $J = 150.2$ Hz), -62.9, -83.6 (d, $J = 150.6$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.0 (d, $J = 3.9$ Hz), 131.7 (q, $J = 32.9$ Hz), 131.5, 131.1 (dd, $J = 275.2, 272.1$ Hz), 125.6, 125.6 (d, $J = 3.7$ Hz), 123.8 (q, $J = 272.2$ Hz), 99.9 (d, $J = 3.2$ Hz), 71.1 (dd, $J = 34.3, 19.1$ Hz), 41.2 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₃F₅NO⁺ 294.0912; Found: 294.0916.

2,2-difluoro-N,N-dimethyl-5-(4-(methylsulfonyl) phenyl)-2,3-dihydrofuran-3-amine (4p)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 42% (25.5mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

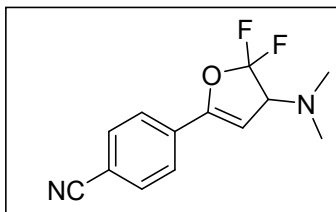
¹H NMR (500 MHz, Chloroform-*d*) δ 7.99 – 7.95 (m, 2H), 7.81 – 7.76 (m, 2H), 5.77 (t, $J = 2.4$ Hz, 1H), 4.19 (ddd, $J = 14.9, 7.7, 2.7$ Hz, 1H), 3.06 (d, $J = 0.7$ Hz, 3H), 2.48 (d, $J = 1.3$ Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.53 (d, $J = 150.1$ Hz), -83.40 (d, $J = 150.0$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 152.4 (d, $J = 3.7$ Hz), 141.4, 133.2, 130.0 (dd, $J = 275.0, 271.6$ Hz), 127.8, 126.2, 101.4 (d, $J = 3.0$ Hz), 71.1 (dd, $J = 34.4, 19.1$ Hz), 44.5, 41.3 (d, $J = 2.9$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₆F₂NO₃S⁺ 304.0813; Found: 304.0817.

4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl) benzonitrile (4q)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 78% (39.0 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

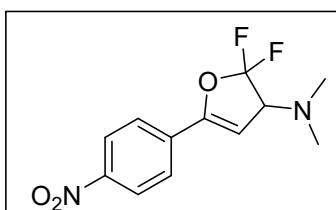
¹H NMR (500 MHz, Chloroform-*d*) ¹H NMR (500 MHz, Chloroform-*d*) δ 7.68 (s, 4H), 5.73 (t, *J* = 2.4 Hz, 1H), 4.18 (ddd, *J* = 14.9, 7.7, 2.8 Hz, 1H), 2.47 (d, *J* = 1.1 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.49 (d, *J* = 150.1 Hz), -83.38 (d, *J* = 150.3 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 152.4 (d, *J* = 3.9 Hz), 132.4, 132.2, 131.0 (dd, *J* = 275.6, 272.4 Hz), 125.9, 118.3, 113.3, 101.3 (d, *J* = 3.4 Hz), 71.1 (dd, *J* = 34.4, 19.1 Hz), 41.2 (d, *J* = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₃H₁₃F₂N₂O⁺ 251.0990; Found: 251.0991.

2,2-difluoro-N,N-dimethyl-5-(4-nitrophenyl)-2,3-dihydrofuran-3-amine (4r)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow solid (mp: 68 – 70 °C), yield: 71% (38.3 mg), column chromatography (silica gel, PE: EA = 8:1, v/v).

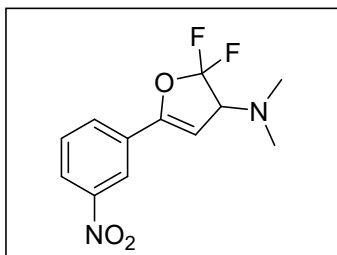
¹H NMR (500 MHz, Chloroform-*d*) δ 8.29 – 8.21 (m, 2H), 7.78 – 7.72 (m, 2H), 5.80 (t, *J* = 2.5 Hz, 1H), 4.20 (ddd, *J* = 15.0, 7.8, 2.8 Hz, 1H), 2.49 (d, *J* = 1.3 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.5 (d, *J* = 150.2 Hz), -83.3 (d, *J* = 150.1 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 152.2 (d, *J* = 3.7 Hz), 148.4, 133.9, 131.0 (dd, *J* = 275.8, 272.4 Hz), 126.2, 124.0, 102.1 (d, *J* = 3.2 Hz), 71.1 (dd, *J* = 34.3, 19.2 Hz), 41.3 (d, *J* = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₂H₁₃F₂N₂O₃⁺ 271.0889; Found: 271.0892.

2,2-difluoro-N,N-dimethyl-5-(3-nitrophenyl)-2,3-dihydrofuran-3-amine (4s)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 46% (24.8 mg), column chromatography (silica gel, PE: EA = 8:1, v/v).

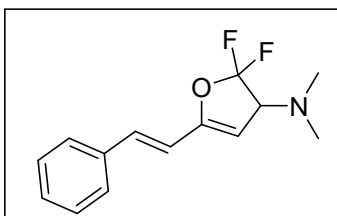
¹H NMR (500 MHz, Chloroform-*d*) δ 8.44 (t, J = 2.0 Hz, 1H), 8.24 (ddd, J = 8.2, 2.3, 1.1 Hz, 1H), 7.91 (dt, J = 7.8, 1.4 Hz, 1H), 7.60 (t, J = 8.0 Hz, 1H), 5.75 (t, J = 2.4 Hz, 1H), 4.20 (ddd, J = 15.0, 7.7, 2.7 Hz, 1H), 2.49 (d, J = 1.3 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.6 (d, J = 150.1 Hz), -83.5 (d, J = 150.0 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 151.9 (d, J = 3.8 Hz), 148.5, 131.0 (dd, J = 275.7, 272.5 Hz), 130.9, 129.9, 129.8, 124.4, 120.4, 100.4 (d, J = 3.2 Hz), 71.1 (dd, J = 34.4, 19.1 Hz), 41.3 (d, J = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₂H₁₃F₂N₂O₃⁺ 271.0889; Found: 271.0888.

(E)-2,2-difluoro-N,N-dimethyl-5-styryl-2,3-dihydrofuran-3-amine (4t)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 68% (34.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

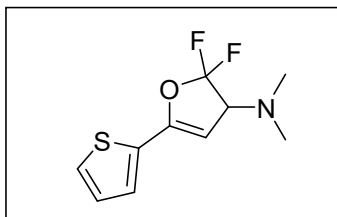
¹H NMR (500 MHz, Chloroform-*d*) δ 7.45 (dd, J = 7.3, 1.8 Hz, 2H), 7.35 (dd, J = 8.3, 6.6 Hz, 2H), 7.32 – 7.28 (m, 1H), 7.01 (d, J = 16.1 Hz, 1H), 6.55 (d, J = 16.1 Hz, 1H), 5.21 (t, J = 2.6 Hz, 1H), 4.09 (ddd, J = 14.6, 7.2, 2.8 Hz, 1H), 2.47 (d, J = 1.1 Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.5 (d, J = 150.0 Hz), -83.5 (d, J = 150.0 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.6 (d, J = 3.8 Hz), 135.7, 133.7, 131.2 (dd, J = 274.3, 271.3 Hz), 128.8, 128.8, 127.0, 114.8, 101.9 (d, J = 3.1 Hz), 70.9 (dd, J = 34.3, 19.1 Hz), 41.2 (d, J = 2.8 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₄H₁₆F₂NO⁺ 252.1194; Found: 252.1191.

2,2-difluoro-N,N-dimethyl-5-(thiophen-2-yl)-2,3-dihydrofuran-3-amine (4u)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 58% (26.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

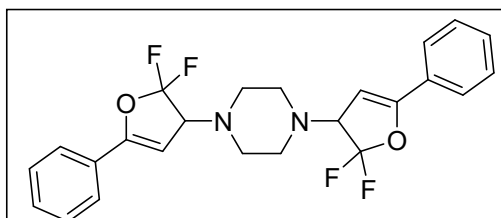
¹H NMR (500 MHz, Chloroform-*d*) δ 7.43 – 7.30 (m, 2H), 7.05 (dd, J = 5.0, 3.7 Hz, 1H), 5.38 (t, J = 2.5 Hz, 1H), 4.14 (ddd, J = 15.0, 7.1, 2.7 Hz, 1H), 2.48 (s, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.62 (d, J = 150.0 Hz), -83.81 (d, J = 150.0 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 149.6 (d, J = 4.5 Hz), 131.0 (dd, J = 274.6, 272.2 Hz), 130.9, 127.7, 127.3, 126.7, 96.5 (d, J = 2.7 Hz), 71.1 (dd, J = 34.4, 18.8 Hz), 41.1 (d, J = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₀H₁₂F₂NOS⁺ 232.0602; Found: 232.0603.

1,4-bis(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) piperazine (4v)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 164 – 166 °C), yield: 72% (64.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

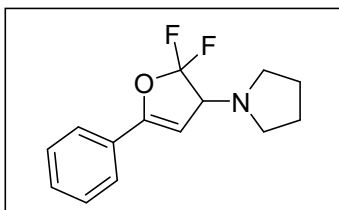
¹H NMR (500 MHz, Chloroform-*d*) δ 7.62 (dtd, J = 5.8, 3.5, 1.5 Hz, 4H), 7.46 – 7.36 (m, 6H), 5.60 (t, J = 2.4 Hz, 2H), 4.20 (ddd, J = 15.4, 7.1, 2.7 Hz, 2H), 2.91 (dq, J = 9.9, 4.9, 4.2 Hz, 4H), 2.84 – 2.76 (m, 4H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.6 (d, J = 27.9 Hz), -62.0 (d, J = 28.6 Hz), -82.7 (d, J = 389.7 Hz), -83.8 (d, J = 319.7 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.6 (d, J = 3.6 Hz), 130.8 (dd, J = 274.6, 272.2 Hz), 130.0, 128.6, 128.1, 125.4, 96.6 (d, J = 3.1 Hz), 70.9 (dd, J = 35.5, 18.9 Hz), 49.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₄H₂₃F₄N₂O₂⁺ 447.1690; Found: 447.1689.

1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) pyrrolidine (5a)



Following the experimental procedures (Condition B) on 0.2 mmol scale, yellow oil, yield: 62% (31.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

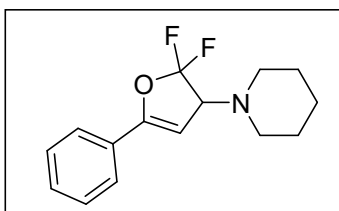
¹H NMR (500 MHz, Chloroform-*d*) δ 7.63 – 7.57 (m, 2H), 7.44 – 7.35 (m, 3H), 5.61 (t, J = 2.3 Hz, 1H), 4.24 (m, J = 15.6, 7.1, 2.6 Hz, 1H), 2.91 – 2.83 (m, 2H), 2.81 – 2.71 (m, 2H), 1.87 – 1.79 (m, 4H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -63.5 (d, J = 150.0 Hz), -82.8 (dd, J = 149.6, 2.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.1 (d, J = 3.3 Hz), 130.8 (dd, J = 274.6, 272.2 Hz), 129.8, 128.5 (d, J = 24.6 Hz), 125.3, 97.6 (d, J = 2.8 Hz), 68.5 (dd, J = 34.9, 19.3 Hz), 50.3 (d, J = 2.3 Hz), 24.1.

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₄H₁₆F₂NO⁺ 252.1194; Found: 252.1193.

1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) piperidine (5b)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 95% (50.5 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

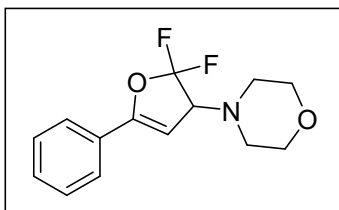
¹H NMR (500 MHz, Chloroform-*d*) δ 7.68 – 7.50 (m, 2H), 7.44 – 7.35 (m, 3H), 5.58 (t, J = 2.4 Hz, 1H), 4.15 (m, J = 15.5, 7.5, 2.7 Hz, 1H), 2.81 (m, J = 10.9, 4.9 Hz, 2H), 2.68 (m, J = 11.0, 5.0 Hz, 2H), 1.58 (m, J = 6.7, 5.0, 2.9 Hz, 4H), 1.48 (p, J = 5.7 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.2 (d, J = 150.3 Hz), -83.1 (d, J = 150.0 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.1 (d, J = 3.8 Hz), 131.1 (dd, J = 274.5, 270.7 Hz), 129.8, 128.6, 128.4, 125.3, 97.4 (d, J = 3.2 Hz), 71.6 (dd, J = 34.7, 18.9 Hz), 50.3, 26.4, 24.4.

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₅H₁₈F₂NO⁺ 266.1351; Found: 266.1351.

4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) morpholine (5c)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 92% (49.1mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

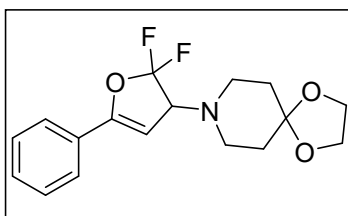
¹H NMR (500 MHz, Chloroform-*d*) δ 7.60 (m, $J = 6.7, 3.1$ Hz, 2H), 7.42 – 7.37 (m, 3H), 5.56 (t, $J = 2.4$ Hz, 1H), 4.13 (m, $J = 15.2, 7.0, 2.7$ Hz, 1H), 3.71 (t, $J = 4.7$ Hz, 4H), 2.85 (m, $J = 10.0, 4.7$ Hz, 2H), 2.74 (m, $J = 10.9, 4.8$ Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) -61.8 (d, $J = 150.6$ Hz), -83.4 (d, $J = 150.7$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.8 (d, $J = 3.7$ Hz), 130.8 (dd, $J = 274.5, 270.7$ Hz), 130.1, 128.6, 128.1, 125.4, 96.2 (d, $J = 2.9$ Hz), 71.1 (dd, $J = 35.4, 18.9$ Hz), 67.3, 49.6 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₄H₁₆F₂NO₂⁺ 268.1144; Found: 268.1142.

8-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)-1,4-dioxo-8-azaspiro [4.5] decane (5d)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 136 – 138 °C), yield: 93% (60.2 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

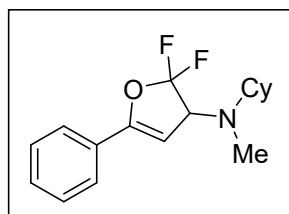
¹H NMR (500 MHz, Chloroform-*d*) δ 7.61 – 7.55 (m, 2H), 7.42 – 7.35 (m, 3H), 5.58 (t, $J = 2.4$ Hz, 1H), 4.19 (m, $J = 15.7, 7.1, 2.7$ Hz, 1H), 3.94 (s, 4H), 2.90 (m, $J = 11.5, 6.0$ Hz, 2H), 2.83 – 2.75 (m, 2H), 1.74 (t, $J = 5.8$ Hz, 4H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.9 (d, $J = 150.1$ Hz), -83.7 (d, $J = 150.9$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.4 (d, $J = 3.6$ Hz), 130.8 (dd, $J = 273.6, 270.0$ Hz), 129.9, 128.6, 128.3, 125.3, 107.1, 97.1 (d, $J = 2.8$ Hz), 70.9 (dd, $J = 35.5, 19.3$ Hz), 64.3, 47.3, 35.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₇H₂₀F₂NO₃⁺ 324.1406; Found: 324.1410.

N-cyclohexyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5e)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 91% (53.5 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

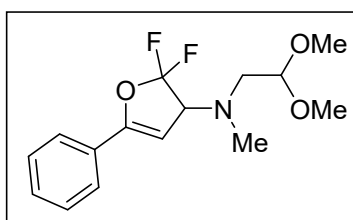
¹H NMR (500 MHz, Chloroform-*d*) δ 7.68 – 7.51 (m, 2H), 7.46 – 7.32 (m, 3H), 5.53 (t, *J* = 2.3 Hz, 1H), 4.43 (m, *J* = 16.3, 7.6, 2.7 Hz, 1H), 2.61 (tt, *J* = 10.8, 3.6 Hz, 1H), 2.37 (d, *J* = 1.2 Hz, 3H), 1.93 (m, *J* = 11.8, 5.9, 2.8 Hz, 2H), 1.86 – 1.75 (m, 2H), 1.65 (m, *J* = 12.7, 3.3, 1.7 Hz, 1H), 1.36 – 1.24 (m, 4H), 1.13 (tt, *J* = 12.5, 3.6 Hz, 1H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.9 (d, *J* = 150.1 Hz), -83.7 (d, *J* = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.3 (d, *J* = 3.6 Hz), 131.0 (dd, *J* = 272.0, 269.3 Hz), 129.7, 128.6, 128.5, 125.2, 99.4 (d, *J* = 3.6 Hz), 67.1 (dd, *J* = 35.5, 19.7 Hz), 62.3, 33.4 (d, *J* = 3.2 Hz), 30.9, 30.5, 26.1, 26.0, 25.9.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₇H₂₂F₂NO⁺ 294.1664; Found: 294.1662.

N-(2,2-dimethoxyethyl)-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5f)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 66% (39.6 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.61 – 7.56 (m, 2H), 7.42 – 7.36 (m, 3H), 5.54 (t, *J* = 2.4 Hz, 1H), 4.43 (t, *J* = 5.2 Hz, 1H), 4.33 (m, *J* = 14.4, 8.0, 2.8 Hz, 1H), 3.37 (d, *J* = 4.5 Hz, 6H), 2.82 (m, *J* = 51.7, 14.0, 5.1, 1.5 Hz, 2H), 2.58 (s, 3H).

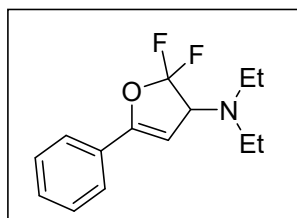
¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.8 (d, *J* = 150.9 Hz), -81.5 (d, *J* = 150.7 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.3 (d, *J* = 3.6 Hz), 131.3 (t, *J* = 273.4 Hz), 129.9, 128.6,

128.2, 125.3, 103.8, 98.3 (d, $J = 3.5$ Hz), 71.4 (dd, $J = 34.0, 19.6$ Hz), 54.4, 53.9, 53.7, 39.7.

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{15}H_{20}F_2NO_3^+$ 300.1406; Found: 300.1402.

N, N-diethyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5g)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 93% (47.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

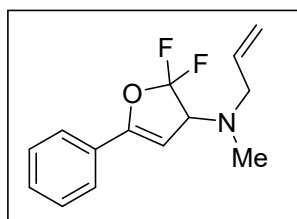
1H NMR (500 MHz, Chloroform-*d*) δ 7.65 – 7.60 (m, 2H), 7.42 (m, $J = 4.7, 3.6, 1.6$ Hz, 3H), 5.58 (t, $J = 2.4$ Hz, 1H), 4.42 (m, $J = 15.5, 8.2, 2.7$ Hz, 1H), 2.84 – 2.70 (m, 4H), 1.12 (t, $J = 7.2$ Hz, 6H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -62.5 (d, $J = 150.3$ Hz), -80.5 (d, $J = 150.3$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 153.8 (d, $J = 3.6$ Hz), 131.3 (dd, $J = 273.6, 270.9$ Hz), 129.8, 128.6, 128.5, 125.3, 98.8 (d, $J = 3.6$ Hz), 68.4 (dd, $J = 34.2, 19.6$ Hz), 44.7, 14.4.

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{14}H_{18}F_2NO^+$ 254.1351; Found: 254.1351.

N-allyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5h)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 92% (46.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

1H NMR (500 MHz, Chloroform-*d*) δ 7.64 – 7.57 (m, 2H), 7.40 (m, $J = 5.0, 2.0$ Hz, 3H), 5.89 – 5.75 (m, 1H), 5.55 (t, $J = 2.4$ Hz, 1H), 5.30 – 5.10 (m, 2H), 4.32 (m, $J = 15.0, 7.7, 2.7$ Hz, 1H), 3.39 – 3.20 (m, 2H), 2.44 (d, $J = 1.2$ Hz, 3H).

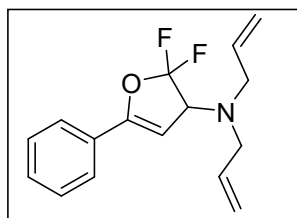
^{19}F NMR (471 MHz, Chloroform-*d*) δ -61.9 (d, $J = 150.8$ Hz), -82.3 (d, $J = 150.9$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 154.3 (d, $J = 3.6$ Hz), 135.8, 131.3 (dd, $J = 273.9, 271.7$ Hz), 129.9, 128.6, 128.3, 125.3, 117.6, 97.9 (d, $J = 3.2$ Hz), 69.8 (dd, $J = 34.7, 19.3$ Hz), 56.7, 37.6 (d, $J =$

3.0 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₄H₁₆F₂NO⁺ 252.1194; Found: 252.1120.

N, N-diallyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5i)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 95% (52.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

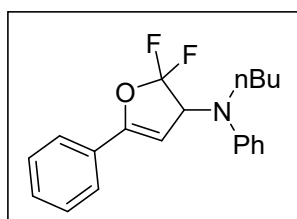
¹H NMR (500 MHz, Chloroform-*d*) δ 7.67 – 7.58 (m, 2H), 7.43 (m, *J* = 4.8, 4.3, 2.1 Hz, 3H), 5.92 – 5.78 (m, 2H), 5.56 (q, *J* = 2.1 Hz, 1H), 5.27 (m, *J* = 17.1, 1.8 Hz, 2H), 5.19 (m, *J* = 10.1, 1.6 Hz, 2H), 4.57 – 4.45 (m, 1H), 3.39 (m, *J* = 14.6, 5.5, 1.7 Hz, 2H), 3.30 (m, *J* = 14.7, 7.0 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.9 (d, *J* = 150.9 Hz), -80.5 (dd, *J* = 151.4, 1.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.1 (d, *J* = 3.6 Hz), 136.3, 131.3 (t, *J* = 272.1 Hz), 129.9, 128.6, 128.3, 125.3, 117.3, 98.4 (d, *J* = 3.6 Hz), 67.1 (dd, *J* = 34.8, 19.4 Hz), 53.3 (d, *J* = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₈F₂NO⁺ 278.1351; Found: 278.1353.

N-butyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5j)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 96% (63.3 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

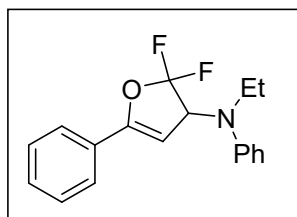
¹H NMR (500 MHz, Chloroform-*d*) δ 7.72 (m, *J* = 6.2, 3.9, 1.8 Hz, 2H), 7.55 – 7.46 (m, 3H), 7.35 (m, *J* = 9.0, 7.2, 2.0 Hz, 2H), 7.00 – 6.93 (m, 2H), 6.89 (m, *J* = 7.3, 1.8 Hz, 1H), 5.69 (t, *J* = 2.4 Hz, 1H), 5.31 (m, *J* = 12.8, 6.3, 2.8 Hz, 1H), 3.32 (m, *J* = 9.1, 5.9, 1.8 Hz, 2H), 1.78 – 1.66 (m, 1H), 1.64 – 1.55 (m, 1H), 1.51 (d, *J* = 2.1 Hz, 1H), 1.36 (m, *J* = 15.2, 7.6, 1.9 Hz, 3H), 0.97 (m, *J* = 7.4, 1.9 Hz, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -65.8 (d, *J* = 148.2 Hz), -80.8 (d, *J* = 148.6 Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 154.8 (d, $J = 3.3$ Hz), 148.4, 130.2, 130.2 (t, $J = 270.9$ Hz), 129.3, 128.7, 128.2, 125.5, 118.3, 114.2, 97.5 (d, $J = 3.2$ Hz), 66.2 (dd, $J = 37.3, 21.7$ Hz), 46.8, 31.5, 30.4, 20.2, 13.9.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{20}\text{H}_{22}\text{F}_2\text{NO}^+$ 330.1664; Found: 330.1662.

N-ethyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5k)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 82 – 84 °C), yield: 94% (56.7mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

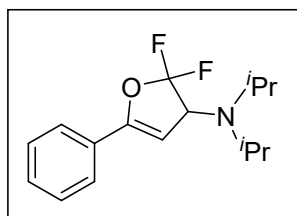
^1H NMR (500 MHz, Chloroform-*d*) δ 7.76 – 7.69 (m, 2H), 7.50 (m, $J = 6.6, 2.6$ Hz, 3H), 7.35 (tq, $J = 7.2, 2.0$ Hz, 2H), 6.97 (m, $J = 8.8, 2.4$ Hz, 2H), 6.89 (m, $J = 7.5, 2.5$ Hz, 1H), 5.70 (q, $J = 2.6, 2.2$ Hz, 1H), 5.31 (m, $J = 12.9, 6.3, 2.9$ Hz, 1H), 3.44 (m, $J = 7.1, 2.1$ Hz, 2H), 1.30 – 1.23 (m, 3H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -66.3 (d, $J = 149.8$ Hz), -80.7 (d, $J = 149.8$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 154.8 (d, $J = 3.7$ Hz), 148.1, 130.3, 130.2 (t, $J = 270.6$ Hz), 129.4, 128.7, 128.1, 125.5, 118.3, 113.9, 97.4 (d, $J = 3.0$ Hz), 66.0 (dd, $J = 37.5, 21.9$ Hz), 41.2, 14.9.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{18}\text{H}_{18}\text{F}_2\text{NO}^+$ 302.1351; Found: 302.1352.

2,2-difluoro-N, N-diisopropyl-5-phenyl-2,3-dihydrofuran-3-amine (5l)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 63 – 65 °C), yield: 95% (53.5 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

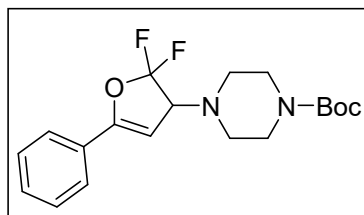
^1H NMR (500 MHz, Chloroform-*d*) δ 7.64 – 7.59 (m, 2H), 7.43 – 7.35 (m, 3H), 5.51 (t, $J = 2.3$ Hz, 1H), 4.46 (m, $J = 17.1, 7.6, 2.7$ Hz, 1H), 3.13 (p, $J = 6.7$ Hz, 2H), 1.11 (d, $J = 6.7$ Hz, 6H), 1.06 (d, $J = 6.6$ Hz, 6H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -68.8 (d, J = 150.6 Hz), -80.6 (d, J = 150.1 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 152.9 (d, J = 3.7 Hz), 130.6 (t, J = 268.7 Hz), 129.5, 128.8, 128.5, 125.2, 100.6 (d, J = 4.3 Hz), 62.7 (dd, J = 37.2, 21.1 Hz), 45.8, 22.9, 22.6.

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₆H₂₂F₂NO⁺ 282.1664; Found: 282.1664.

tert-butyl 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5m)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 93% (68.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

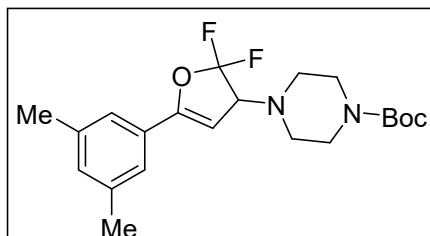
¹H NMR (500 MHz, Chloroform-*d*) δ 7.61 – 7.56 (m, 2H), 7.41 – 7.36 (m, 3H), 5.54 (t, J = 2.4 Hz, 1H), 4.18 (m, J = 15.2, 7.0, 2.7 Hz, 1H), 3.50 – 3.37 (m, 4H), 2.79 (m, J = 10.9, 5.0 Hz, 2H), 2.67 (m, J = 11.2, 5.1 Hz, 2H), 1.45 (s, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.9 (d, J = 150.1 Hz), -83.7 (d, J = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.8 (d, J = 3.6 Hz), 154.7, 130.7 (dd, J = 273.4, 270.6 Hz), 130.1, 128.6, 128.0, 125.4, 96.4 (d, J = 2.7 Hz), 79.8, 71.0 (dd, J = 35.5, 18.9 Hz), 48.9, 28.4.

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₉H₂₅F₂N₂O₃⁺ 367.1828; Found: 367.1826.

tert-butyl 4-(5-(3,5-dimethylphenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5n)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 94% (74.3 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.21 (s, 2H), 7.02 (s, 1H), 5.50 (t, J = 2.4 Hz, 1H), 4.15 (m, J = 15.1, 6.9, 2.7 Hz, 1H), 3.42 (t, J = 5.2 Hz, 4H), 2.78 (m, J = 10.9, 5.0 Hz, 2H), 2.66 (m, J = 11.7, 5.5

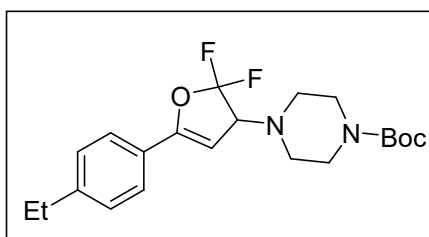
Hz, 2H), 2.33 (s, 6H), 1.46 (s, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.8 (d, *J* = 150.5 Hz), -83.4 (d, *J* = 150.7 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 155.1, 154.7, 138.2, 131.8, 130.8 (*J* = 273.4, 270.6 Hz), 123.1, 96.02, 79.7, 71.0 (dd, *J* = 35.6, 18.9 Hz), 48.9, 28.4, 21.2.

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. for C₂₁H₂₉F₂N₂O₃+ 395.2141; Found: 395.2145.

tert-butyl 4-(5-(4-ethylphenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5o)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 96% (75.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

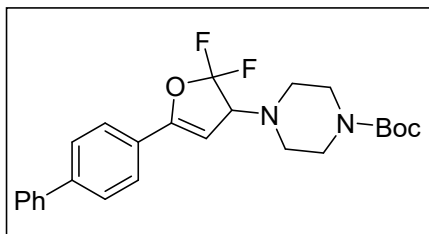
¹H NMR (500 MHz, Chloroform-*d*) δ 7.52 – 7.49 (m, 2H), 7.22 (d, *J* = 8.1 Hz, 2H), 5.48 (t, *J* = 2.4 Hz, 1H), 4.16 m, *J* = 15.2, 6.9, 2.7 Hz, 1H), 3.47 – 3.37 (m, 4H), 2.78 (m, *J* = 10.9, 5.1 Hz, 2H), 2.66 (q, *J* = 7.5 Hz, 4H), 1.45 (s, 9H), 1.23 (t, *J* = 7.6 Hz, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.8 (d, *J* = 150.6 Hz), -83.4 (d, *J* = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 155.0, 154.7, 146.7, 130.8 (*J* = 273.4, 270.6 Hz), 128.1, 125.5, 125.4, 95.4 (d, *J* = 3.1 Hz), 79.7, 71.0 (dd, *J* = 35.5, 18.9 Hz), 48.9, 28.8, 28.4, 15.4.

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. for C₂₁H₂₉F₂N₂O₃+ 395.2141; Found: 395.2138.

tert-butyl 4-(5-([1,1'-biphenyl]-4-yl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5p)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 119 – 121 °C), yield: 95% (84.0 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.69 – 7.57 (m, 6H), 7.46 (m, *J* = 8.4, 6.9 Hz, 2H), 7.41 – 7.36

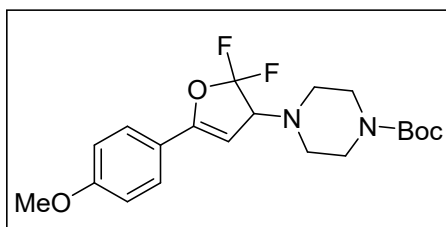
(m, 1H), 5.58 (t, $J = 2.4$ Hz, 1H), 4.21 (m, $J = 15.1, 7.0, 2.7$ Hz, 1H), 3.45 (t, $J = 5.2$ Hz, 4H), 2.81 (m, $J = 11.0, 5.1$ Hz, 2H), 2.70 (m, $J = 11.2, 5.2$ Hz, 2H), 1.47 (s, 9H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -61.8 (d, $J = 150.9$ Hz), -83.2 (d, $J = 151.1$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 154.1 (d, $J = 3.3$ Hz), 130.8 (dd, $J = 274.6, 272.2$ Hz), 129.8, 128.5 (d, $J = 24.6$ Hz), 125.3, 97.6 (d, $J = 2.8$ Hz), 68.5 (dd, $J = 34.9, 19.3$ Hz), 50.3 (d, $J = 2.3$ Hz), 24.1.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{25}\text{H}_{29}\text{F}_2\text{N}_2\text{O}_3^+$ 443.2141; Found: 443.2145.

tert-butyl 4-(2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5q)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 85 – 87 °C), yield: 93% (73.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

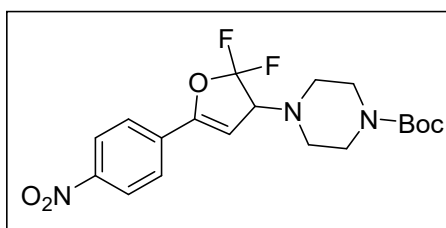
^1H NMR (500 MHz, Chloroform-*d*) δ 7.50 (d, $J = 8.6$ Hz, 2H), 7.35 (d, $J = 8.7$ Hz, 2H), 5.53 (t, $J = 2.4$ Hz, 1H), 4.16 (m, $J = 15.2, 7.2, 2.7$ Hz, 1H), 3.47 – 3.34 (m, 4H), 2.76 (m, $J = 10.7, 5.0$ Hz, 2H), 2.65 (m, $J = 11.0, 5.0$ Hz, 2H), 1.44 (s, 9H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -61.9 (d, $J = 150.0$ Hz), -83.1 (d, $J = 150.6$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 154.7, 153.7 (d, $J = 3.7$ Hz), 136.0, 130.7 (dd, $J = 273.9, 270.8$ Hz), 128.9, 126.7, 126.5, 97.1 (d, $J = 2.9$ Hz), 79.7, 71.1 (dd, $J = 35.3, 18.9$ Hz), 48.9, 28.4.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{20}\text{H}_{27}\text{F}_2\text{N}_2\text{O}_4^+$ 397.1933; Found: 397.1934.

tert-butyl 4-(2,2-difluoro-5-(4-nitrophenyl)-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5r)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 94% (77.4 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

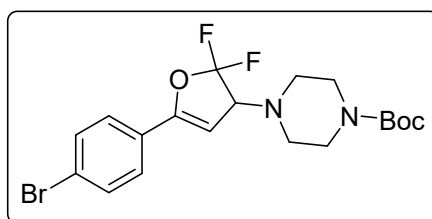
¹H NMR (500 MHz, Chloroform-*d*) δ 8.29 – 8.19 (m, 2H), 7.81 – 7.63 (m, 2H), 5.80 (t, $J = 2.4$ Hz, 1H), 4.22 (m, $J = 15.1, 7.5, 2.8$ Hz, 1H), 3.48 – 3.37 (m, 4H), 2.76 (m, $J = 10.6, 5.0$ Hz, 2H), 2.68 (m, $J = 10.9, 5.0$ Hz, 2H), 1.43 (s, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.9 (d, $J = 150.0$ Hz), -82.7 (d, $J = 150.0$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.6, 152.5 (d, $J = 3.7$ Hz), 148.4, 133.7, 130.5 (dd, $J = 275.0, 271.7$ Hz), 126.2, 124.0, 101.1 (d, $J = 3.0$ Hz), 79.8, 71.1 (dd, $J = 35.3, 19.1$ Hz), 49.0, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₉H₂₄F₂N₃O₅⁺ 412.1679; Found: 412.1674

tert-butyl 4-(5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5s)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 75% (66.7 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

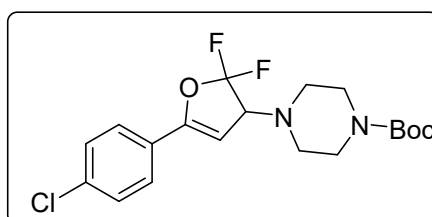
¹H NMR (500 MHz, Chloroform-*d*) δ 7.51 (dd, $J = 8.7, 1.0$ Hz, 2H), 7.45 – 7.40 (m, 2H), 5.55 (t, $J = 2.3$ Hz, 1H), 4.15 (m, $J = 15.2, 7.2, 2.7$ Hz, 1H), 3.49 – 3.33 (m, 4H), 2.76 (dt, $J = 10.8, 5.0$ Hz, 2H), 2.65 (dt, $J = 10.7, 4.9$ Hz, 2H), 1.44 (d, $J = 1.0$ Hz, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.8 (d, $J = 150.9$ Hz), -83.1 (d, $J = 150.4$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.6, 153.8 (d, $J = 3.6$ Hz), 131.9, 130.7 (dd, $J = 274.0, 270.9$ Hz), 126.9, 126.9, 124.3, 97.2 (d, $J = 2.7$ Hz), 79.7, 71.1 (dd, $J = 35.4, 19.0$ Hz), 48.9, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₉H₂₄BrF₂N₂O₃⁺ 445.0933; Found: 445.0933.

tert-butyl 4-(5-(4-chlorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate 5(9t)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 72% (64.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

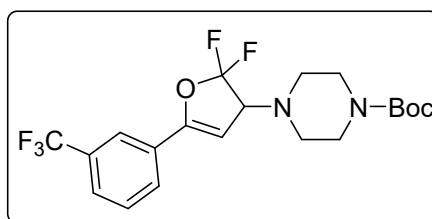
¹H NMR (500 MHz, Chloroform-*d*) δ 7.50 (d, J = 8.6 Hz, 2H), 7.35 (d, J = 8.7 Hz, 2H), 5.53 (t, J = 2.4 Hz, 1H), 4.16 (ddd, J = 15.2, 7.2, 2.7 Hz, 1H), 3.47 – 3.34 (m, 4H), 2.76 (dt, J = 10.7, 5.0 Hz, 2H), 2.65 (dt, J = 11.0, 5.0 Hz, 2H), 1.44 (s, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.0 (d, J = 150.0 Hz), -83.1 (d, J = 150.6 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.7, 153.7 (d, J = 3.7 Hz), 136.0, 130.7 (dd, J = 273.9, 270.8 Hz), 128.9, 126.7, 126.5, 97.1 (d, J = 2.9 Hz), 79.7, 71.1 (dd, J = 35.3, 18.9 Hz), 48.9, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₉H₂₄ClF₂N₂O₃⁺ 401.1438; Found: 401.1439

tert-butyl-4-(2,2-difluoro-5-(3-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5u)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 110 – 112 °C), yield: 98% (85.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

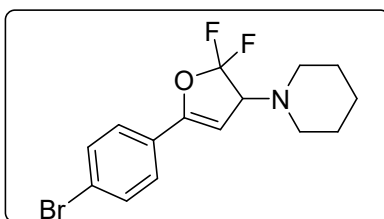
¹H NMR (500 MHz, Chloroform-*d*) δ 7.83 (s, 1H), 7.77 (d, J = 7.8 Hz, 1H), 7.64 (d, J = 7.8 Hz, 1H), 7.53 (t, J = 7.8 Hz, 1H), 5.67 (t, J = 2.4 Hz, 1H), 4.21 (ddd, J = 15.1, 7.2, 2.7 Hz, 1H), 3.43 (t, J = 5.0 Hz, 4H), 2.84 – 2.72 (m, 2H), 2.69 (q, J = 6.2, 5.8 Hz, 2H), 1.45 (s, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.0 (d, J = 150.4 Hz), -62.9, -83.1 (d, J = 150.6 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.7, 153.3 (d, J = 3.6 Hz), 130.6 (dd, J = 273.9, 270.8 Hz), 131.3 (q, J = 32.9 Hz), 129.3, 128.8, 128.5, 126.6 (q, J = 3.7 Hz), 123.7 (q, J = 272.2 Hz), 122.2 (q, J = 3.8 Hz), 98.3 (d, J = 2.7 Hz), 79.8, 71.0 (dd, J = 35.3, 19.0 Hz), 48.9, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₀H₂₄F₅N₂O₃⁺ 435.1702; Found: 435.1702.

1-(5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperidine (5v)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 84% (57.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

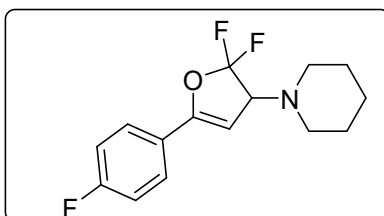
¹H NMR (500 MHz, Chloroform-*d*) δ 7.52 (d, J = 8.6 Hz, 2H), 7.45 (d, J = 8.6 Hz, 2H), 5.58 (t, J = 2.4 Hz, 1H), 4.13 (ddd, J = 15.5, 7.6, 2.7 Hz, 1H), 2.78 (dt, J = 11.0, 5.8 Hz, 2H), 2.67 (dt, J = 11.0, 5.0 Hz, 2H), 1.57 (tdd, J = 8.0, 6.1, 3.7 Hz, 4H), 1.47 (p, J = 5.6 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.2 (d, J = 149.9 Hz), -83.0 (d, J = 149.8 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.1 (d, J = 3.7 Hz), 131.8, 131.0 (dd, J = 275.2, 271.1 Hz), 127.2, 126.8, 124.0, 98.1 (d, J = 3.1 Hz), 71.7 (dd, J = 34.7, 18.9 Hz), 50.3, 26.4, 24.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₅H₁₇BrF₂NO⁺ 344.0456; Found: 344.0461

1-(2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-yl)piperidine (**5w**)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 91% (51.6 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

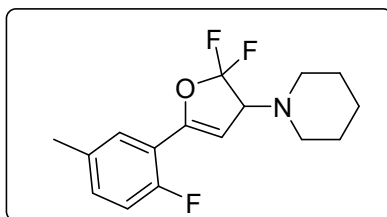
¹H NMR (500 MHz, Chloroform-*d*) δ 7.60 – 7.54 (m, 2H), 7.08 (t, J = 8.6 Hz, 2H), 5.51 (t, J = 2.5 Hz, 1H), 4.14 (ddd, J = 15.5, 7.5, 2.7 Hz, 1H), 2.79 (dt, J = 11.0, 5.2 Hz, 2H), 2.67 (dt, J = 11.0, 5.3 Hz, 2H), 1.62 – 1.52 (m, 4H), 1.47 (p, J = 5.8 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.2 (d, J = 150.0 Hz), -83.1 (d, J = 150.0 Hz), -110.2.

¹³C NMR (126 MHz, Chloroform-*d*) δ 163.6 (d, J = 250.6 Hz), 153.2 (d, J = 3.9 Hz), 131.0 (dd, J = 274.8, 271.0 Hz), 127.3 (d, J = 8.4 Hz), 124.6 (d, J = 3.4 Hz), 115.7 (d, J = 22.1 Hz), 97.0, 71.7 (dd, J = 34.7, 18.8 Hz), 50.2, 26.4, 24.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₅H₁₇F₃NO⁺ 284.1257; Found: 284.1260.

1-(2,2-difluoro-5-(2-fluoro-5-methylphenyl)-2,3-dihydrofuran-3-yl) piperidine (5x)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 93% (55.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

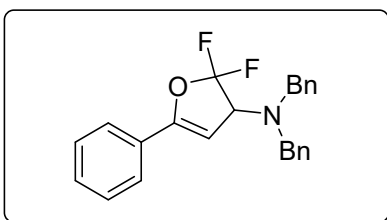
¹H NMR (500 MHz, Chloroform-*d*) δ 7.43 (dd, $J = 7.2, 2.3$ Hz, 1H), 7.12 (ddd, $J = 7.7, 4.9, 2.3$ Hz, 1H), 6.99 (dd, $J = 11.2, 8.4$ Hz, 1H), 5.80 (d, $J = 2.6$ Hz, 1H), 4.16 (ddd, $J = 15.7, 7.7, 2.7$ Hz, 1H), 2.79 (dt, $J = 10.9, 5.4$ Hz, 2H), 2.68 (dt, $J = 11.0, 5.3$ Hz, 2H), 2.33 (s, 3H), 1.58 (qq, $J = 8.0, 4.2, 3.3$ Hz, 4H), 1.47 (p, $J = 6.0$ Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.0 (d, $J = 150.0$ Hz), -84.1 (d, $J = 150.2$ Hz), -116.6.

¹³C NMR (126 MHz, Chloroform-*d*) δ 158.8 (d, $J = 251.1$ Hz), 148.2, 133.8 (d, $J = 3.6$ Hz), 131.3 (d, $J = 8.4$ Hz), 130.4 (dd, $J = 273.8, 269.9$ Hz), 127.8 (d, $J = 2.2$ Hz), 116.1 (d, $J = 11.2$ Hz), 115.5 (d, $J = 21.6$ Hz), 103.3 (dd, $J = 13.8, 2.9$ Hz), 71.9 (dd, $J = 34.7, 18.8$ Hz), 50.3, 26.4, 24.4, 20.6.

HRMS (ESI) m/z : [M+H]⁺ Calcd. for C₁₆H₁₉F₃NO⁺ 298.1413; Found: 298.1411

N, N-dibenzyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5y)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 70 – 72 °C), yield: 85% (64.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

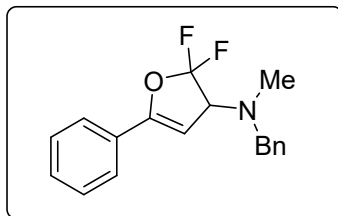
¹H NMR (500 MHz, Chloroform-*d*) δ 7.61 (dt, $J = 6.0, 3.0$ Hz, 2H), 7.49 – 7.36 (m, 11H), 7.34 – 7.28 (m, 2H), 5.56 (t, $J = 2.6$ Hz, 1H), 4.45 (dtd, $J = 14.7, 4.6, 2.6$ Hz, 1H), 3.98 (dd, $J = 14.1, 3.5$ Hz, 2H), 3.90 (dq, $J = 14.0, 2.0$ Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.0 (d, $J = 150.1$ Hz), -80.3 (d, $J = 150.1$ Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.5 (d, $J = 3.5$ Hz), 139.4, 131.7 (t, $J = 273.0$ Hz), 129.9, 128.8, 128.6, 128.4, 128.3, 127.3, 125.3, 98.4 (d, $J = 3.4$ Hz), 66.2 (dd, $J = 34.4, 19.1$ Hz), 54.5.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₄H₂₂F₂NO⁺ 378.1664; Found: 378.1659

N-benzyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5z)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 99% (62.5 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

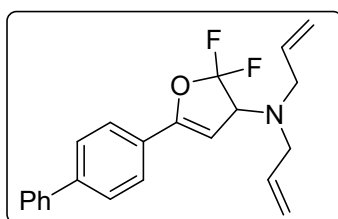
¹H NMR (500 MHz, Chloroform-*d*) δ 7.70 – 7.55 (m, 2H), 7.46 – 7.35 (m, 7H), 7.31 (ddt, *J* = 9.5, 3.8, 1.9 Hz, 1H), 5.61 (d, *J* = 2.2 Hz, 1H), 4.40 (ddt, *J* = 14.8, 7.8, 2.3 Hz, 1H), 3.99 – 3.74 (m, 2H), 2.48 (d, *J* = 1.5 Hz, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -61.5 (d, *J* = 150.7 Hz), -82.1 (d, *J* = 150.6 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.4 (d, *J* = 3.7 Hz), 139.3, 131.5 (t, *J* = 273.0 Hz), 130.0, 128.6, 128.6, 128.4, 128.3, 127.2, 125.4, 98.1 (d, *J* = 3.2 Hz), 70.2 (dd, *J* = 34.3, 19.3 Hz), 57.4, 38.0 (d, *J* = 2.6 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₈H₁₈F₂NO⁺ 302.1351; Found: 302.1355

5-([1,1'-biphenyl]-4-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5aa)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 108 – 110 °C), yield: 85% (60.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

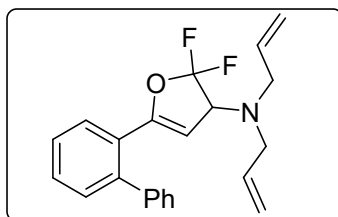
¹H NMR (500 MHz, Chloroform-*d*) δ 7.69 – 7.59 (m, 6H), 7.46 (dd, *J* = 8.4, 6.9 Hz, 2H), 7.42 – 7.35 (m, 1H), 5.83 (ddt, *J* = 16.7, 10.3, 6.2 Hz, 2H), 5.56 (t, *J* = 2.4 Hz, 1H), 5.24 (dt, *J* = 17.2, 1.6 Hz, 2H), 5.16 (dt, *J* = 10.0, 1.5 Hz, 2H), 4.50 (ddd, *J* = 15.1, 8.2, 2.8 Hz, 1H), 3.37 (ddt, *J* = 14.6, 5.6, 1.6 Hz, 2H), 3.32 – 3.25 (m, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.9 (d, *J* = 150.3 Hz), -80.5 (d, *J* = 150.7 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.9 (d, *J* = 3.6 Hz), 142.6, 140.2, 136.3, 131.3 (t, *J* = 273.0 Hz), 128.9, 127.8, 127.2, 127.2, 127.1, 125.8, 117.4, 98.5 (d, *J* = 3.6 Hz), 67.1 (dd, *J* = 34.8, 19.4 Hz), 53.3 (d, *J* = 2.4 Hz).

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. For C₂₂H₂₂F₂NO⁺ 354.1664; Found: 354.1660

5-([1,1'-biphenyl]-2-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5ab)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 43% (30.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

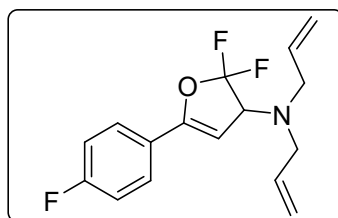
¹H NMR (500 MHz, Chloroform-*d*) δ 7.69 – 7.66 (m, 1H), 7.44 – 7.37 (m, 5H), 7.35 – 7.29 (m, 3H), 5.68 (ddt, *J* = 16.6, 10.1, 6.3 Hz, 2H), 5.20 – 5.03 (m, 4H), 4.47 (t, *J* = 2.3 Hz, 1H), 4.22 (ddd, *J* = 15.4, 8.6, 2.7 Hz, 1H), 3.23 – 3.05 (m, 4H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -63.5 (d, *J* = 151.0 Hz), -81.1 (d, *J* = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.0 (d, *J* = 3.6 Hz), 141.5, 141.2, 136.1, 130.8 (dd, *J* = 273.8, 269.9 Hz), 130.7, 129.4, 128.8, 128.5, 127.9, 127.5, 127.5, 127.2, 117.4, 103.7 (d, *J* = 3.4 Hz), 67.2 (dd, *J* = 34.7, 19.2 Hz), 53.2 (d, *J* = 2.7 Hz).

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. For C₂₂H₂₂F₂NO⁺ 354.1664; Found: 354.1666

N, N-diallyl-2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-amine (5ac)



Following the experimental procedures (Condition A) on 0.2 mmol scale, yellow oil, yield: 75% (44.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.42 – 7.35 (m, 2H), 7.33 – 7.26 (m, 1H), 7.11 (ddd, *J* = 9.3, 6.6, 2.4 Hz, 1H), 5.84 (ddt, *J* = 16.9, 10.1, 6.2 Hz, 2H), 5.58 (t, *J* = 2.4 Hz, 1H), 5.26 (dq, *J* = 17.2, 1.7

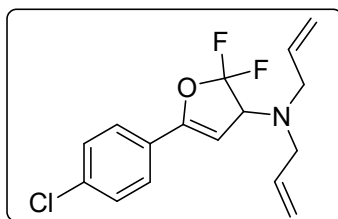
Hz, 2H), 5.18 (dq, $J = 10.2, 1.4$ Hz, 2H), 4.51 (ddd, $J = 15.0, 8.4, 2.8$ Hz, 1H), 3.37 (ddt, $J = 14.6, 5.6, 1.7$ Hz, 2H), 3.29 (ddd, $J = 14.6, 7.0, 1.6$ Hz, 2H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -63.1 (d, $J = 150.3$ Hz), -80.4 (d, $J = 150.6$ Hz), -112.3.

^{13}C NMR (126 MHz, Chloroform-*d*) δ 162.8 (d, $J = 246.5$ Hz), 152.9 (t, $J = 3.4$ Hz), 136.1, 131.2 (t, $J = 273.0$ Hz), 130.4 (d, $J = 8.2$ Hz), 130.3 (d, $J = 8.2$ Hz), 121.0 (d, $J = 3.1$ Hz), 117.4, 116.8 (d, $J = 21.3$ Hz), 112.3 (d, $J = 23.6$ Hz), 99.8 (d, $J = 3.6$ Hz), 67.0 (dd, $J = 34.6, 19.4$ Hz), 53.3 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{16}\text{H}_{17}\text{F}_3\text{NO}^+$ 296.1257; Found: 296.1255

N,N-diallyl-5-(4-chlorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5ad)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 114– 116 °C), yield: 68% (42.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

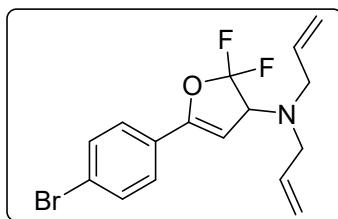
^1H NMR (500 MHz, Chloroform-*d*) δ 7.54 (d, $J = 8.6$ Hz, 2H), 7.39 (d, $J = 8.6$ Hz, 2H), 5.93 – 5.73 (m, 2H), 5.54 (t, $J = 2.4$ Hz, 1H), 5.27 (q, $J = 1.6$ Hz, 1H), 5.24 (d, $J = 1.7$ Hz, 1H), 5.19 (q, $J = 1.5$ Hz, 1H), 5.17 (t, $J = 1.5$ Hz, 1H), 4.50 (ddd, $J = 15.0, 8.4, 2.7$ Hz, 1H), 3.36 (ddt, $J = 14.6, 5.5, 1.6$ Hz, 2H), 3.28 (ddd, $J = 14.6, 7.0, 1.6$ Hz, 2H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -63.0 (d, $J = 150.3$ Hz), -80.4 (d, $J = 150.3$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 153.0 (d, $J = 3.6$ Hz), 136.1, 135.8, 131.2 (dd, $J = 273.9, 272.1$ Hz), 128.9, 126.8, 126.6, 117.4, 99.0 (d, $J = 3.5$ Hz), 67.1 (dd, $J = 34.6, 19.4$ Hz), 53.3 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{16}\text{H}_{17}\text{ClF}_2\text{NO}^+$ 312.0961; Found: 312.0960

N,N-diallyl-5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5ae)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 82% (53.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

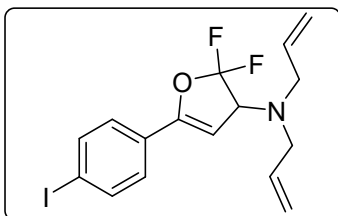
¹H NMR (500 MHz, Chloroform-*d*) δ 7.55 – 7.49 (m, 2H), 7.48 – 7.40 (m, 2H), 5.86 – 5.75 (m, 2H), 5.53 (t, J = 2.4 Hz, 1H), 5.23 (dq, J = 17.2, 1.6 Hz, 2H), 5.15 (dq, J = 10.2, 1.5 Hz, 2H), 4.47 (ddd, J = 15.1, 8.4, 2.7 Hz, 1H), 3.34 (ddt, J = 14.6, 5.5, 1.7 Hz, 2H), 3.26 (ddd, J = 14.6, 6.9, 1.6 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -63.0 (d, J = 150.7 Hz), -80.3 (d, J = 150.1 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.1 (d, J = 3.6 Hz), 136.1, 131.8, 131.2 (dd, J = 273.9, 272.1 Hz), 127.2, 126.8, 124.1, 117.4, 99.1 (d, J = 3.6 Hz), 67.1 (dd, J = 34.7, 19.4 Hz), 53.3.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₇BrF₂NO⁺ 356.0456; Found: 356.0454

N, N-diallyl-2,2-difluoro-5-(4-iodophenyl)-2,3-dihydrofuran-3-amine (5af)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown solid (mp: 51 – 53 °C), yield: 94% (75.9 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

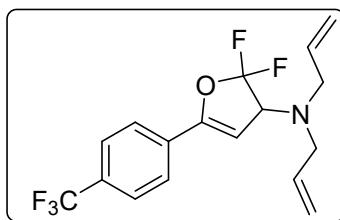
¹H NMR (500 MHz, Chloroform-*d*) ¹H NMR (500 MHz, Chloroform-*d*) δ 7.73 (d, J = 8.5 Hz, 2H), 7.31 (d, J = 8.5 Hz, 2H), 5.87 – 5.74 (m, 2H), 5.54 (t, J = 2.4 Hz, 1H), 5.24 (q, J = 1.7 Hz, 1H), 5.21 (q, J = 1.7 Hz, 1H), 5.16 (q, J = 1.4 Hz, 1H), 5.14 (q, J = 1.5 Hz, 1H), 4.46 (ddd, J = 15.1, 8.4, 2.8 Hz, 1H), 3.33 (ddt, J = 14.6, 5.6, 1.6 Hz, 2H), 3.25 (ddd, J = 14.7, 7.0, 1.6 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -63.0 (d, J = 150.2 Hz), -80.4 (d, J = 150.1 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 153.2 (d, J = 3.6 Hz), 137.8, 136.1, 131.2 (dd, J = 273.9, 272.1 Hz), 127.8, 126.8, 117.4, 99.3 (d, J = 3.6 Hz), 95.9, 67.1 (dd, J = 34.5, 19.5 Hz), 53.3 (d, J = 2.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₇F₂INO⁺ 404.0317; Found: 404.0312

N, N-diallyl-2,2-difluoro-5-(4-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ag)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 90% (62.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

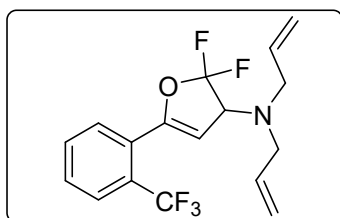
¹H NMR (500 MHz, Chloroform-*d*) δ 7.73 – 7.61 (m, 4H), 5.81 (ddt, J = 16.9, 10.0, 6.2 Hz, 2H), 5.66 (t, J = 2.3 Hz, 1H), 5.24 (dq, J = 17.2, 1.7 Hz, 2H), 5.16 (dt, J = 10.2, 1.5 Hz, 2H), 4.51 (ddd, J = 15.0, 8.5, 2.8 Hz, 1H), 3.34 (ddt, J = 14.5, 5.5, 1.6 Hz, 2H), 3.27 (ddd, J = 14.8, 7.0, 1.6 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.9, -63.1 (d, J = 150.1 Hz), -80.3 (d, J = 150.5 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 152.7 (d, J = 3.6 Hz), 136.0, 131.6 (q, J = 33.0 Hz), 131.6, 131.1 (dd, J = 274.2, 272.3 Hz), 125.6 (d, J = 3.9 Hz), 125.6, 123.8 (q, J = 273.4 Hz), 117.5, 100.9 (d, J = 3.6 Hz), 67.0 (dd, J = 34.6, 19.5 Hz), 53.4 (d, J = 2.6 Hz).

HRMS (ESI) *m/z*: [M+H]⁺ Calcd. for C₁₇H₁₇F₅NO⁺ 346.1225; Found: 346.1223

N,N-diallyl-2,2-difluoro-5-(2-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ah)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 52% (36.0 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

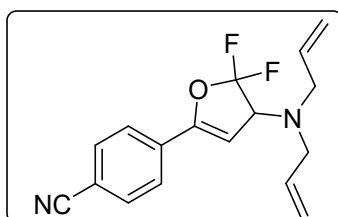
¹H NMR (500 MHz, Chloroform-*d*) δ 7.74 (dd, J = 7.9, 1.3 Hz, 1H), 7.64 (dd, J = 7.8, 1.4 Hz, 1H), 7.59 (td, J = 7.6, 1.4 Hz, 1H), 7.52 (t, J = 7.6 Hz, 1H), 5.83 (dddd, J = 16.9, 10.0, 6.9, 5.7 Hz, 2H), 5.47 (t, J = 2.3 Hz, 1H), 5.27 (q, J = 1.7 Hz, 1H), 5.23 (q, J = 1.7 Hz, 1H), 5.18 (q, J = 1.4 Hz, 1H), 5.16 (t, J = 1.5 Hz, 1H), 4.49 (ddd, J = 15.6, 8.7, 2.7 Hz, 1H), 3.37 (ddt, J = 14.6, 5.6, 1.7 Hz, 2H), 3.29 (ddd, J = 14.6, 7.1, 1.6 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -59.2, -63.7 (d, J = 151.3 Hz), -81.0 (d, J = 150.7 Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 151.4 (d, $J = 3.9$ Hz), 136.1, 131.8, 130.9 (dd, $J = 274.2, 272.3$ Hz), 130.54, 129.91, 128.4 (q, $J = 31.5$ Hz), 127.77, 126.6 (q, $J = 5.6$ Hz), 124.5 (q, $J = 273.4$ Hz), 117.5, 104.8, 67.2 (dd, $J = 34.7, 19.3$ Hz), 53.3 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{17}\text{H}_{17}\text{F}_5\text{NO}^+$ 346.1225; Found: 346.1225

4-(4-(diallylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzonitrile (5ai)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 84% (50.9 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

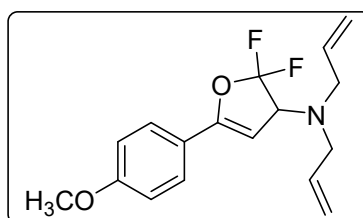
^1H NMR (500 MHz, Chloroform-*d*) δ 7.68 (s, 4H), 5.86 – 5.75 (m, 2H), 5.70 (dd, $J = 2.8, 1.9$ Hz, 1H), 5.27 – 5.13 (m, 4H), 4.51 (ddd, $J = 15.0, 8.6, 2.8$ Hz, 1H), 3.32 (ddt, $J = 14.6, 5.7, 1.6$ Hz, 2H), 3.26 (ddd, $J = 14.6, 7.0, 1.6$ Hz, 2H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -63.1 (d, $J = 150.0$ Hz), -80.1 (d, $J = 150.2$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 152.2 (d, $J = 3.6$ Hz), 135.9, 132.4, 132.2, 131.0 (dd, $J = 274.7, 272.5$ Hz), 125.8, 118.3, 117.6, 113.2, 102.3 (d, $J = 3.7$ Hz), 67.1 (dd, $J = 34.6, 19.5$ Hz), 53.4 (d, $J = 2.6$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{17}\text{H}_{17}\text{F}_2\text{N}_2\text{O}^+$ 303.1303; Found: 303.1305

N, N-diallyl-2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-amine (5aj)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 93% (57.3 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.53 (d, $J = 8.9$ Hz, 2H), 6.91 (d, $J = 8.9$ Hz, 2H), 5.88 – 5.76 (m, 2H), 5.37 (t, $J = 2.4$ Hz, 1H), 5.24 (dq, $J = 17.2, 1.7$ Hz, 2H), 5.15 (dq, $J = 10.1, 1.5$ Hz, 2H), 4.47

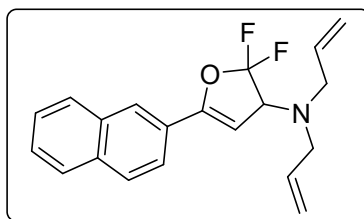
(ddd, $J = 15.1, 8.0, 2.7$ Hz, 1H), 3.83 (s, 3H), 3.36 (ddt, $J = 14.5, 5.6, 1.6$ Hz, 2H), 3.26 (ddd, $J = 14.6, 7.0, 1.7$ Hz, 2H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -62.81 (d, $J = 150.6$ Hz), -80.65 (d, $J = 150.8$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) ^{13}C NMR (126 MHz, Chloroform-*d*) δ 160.86, 153.98 (d, $J = 3.5$ Hz), 136.34, 134.24 – 128.70 (m), 126.84, 121.03, 117.26, 113.99, 96.15 (d, $J = 3.4$ Hz), 67.11 (dd, $J = 34.6, 19.3$ Hz), 55.34, 53.27 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{17}\text{H}_{20}\text{F}_2\text{NO}_2^+$ 308.1457; Found: 308.1465

N,N-diallyl-2,2-difluoro-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (5ak)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 75% (49.2 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

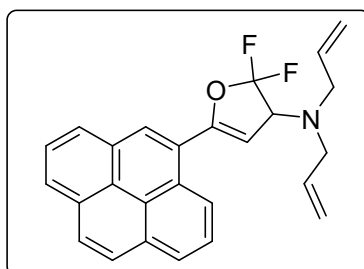
^1H NMR (500 MHz, Chloroform-*d*) δ 7.69 – 7.66 (m, 1H), 7.44 – 7.37 (m, 5H), 7.35 – 7.29 (m, 3H), 5.68 (ddt, $J = 16.6, 10.1, 6.3$ Hz, 2H), 5.20 – 5.03 (m, 4H), 4.47 (t, $J = 2.3$ Hz, 1H), 4.22 (ddd, $J = 15.4, 8.6, 2.7$ Hz, 1H), 3.23 – 3.05 (m, 4H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -63.5 (d, $J = 151.0$ Hz), -81.1 (d, $J = 150.9$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 153.0 (d, $J = 3.6$ Hz), 141.4 (d, $J = 29.9$ Hz), 136.1, 130.8 (dd, $J = 274.7, 272.5$ Hz), 130.7, 129.4, 129.1, 128.8, 128.5, 127.9, 127.5, 127.5, 127.2, 117.4, 103.7 (d, $J = 3.4$ Hz), 67.2 (dd, $J = 34.7, 19.2$ Hz), 53.2 (d, $J = 2.7$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{20}\text{H}_{20}\text{F}_2\text{NO}^+$ 328.1507; Found: 328.1503

N,N-diallyl-2,2-difluoro-5-(pyren-4-yl)-2,3-dihydrofuran-3-amine (5al)



Following the experimental procedures (Condition A) on 0.2 mmol scale, white solid (mp: 56 – 58 °C), yield: 62% (49.8 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

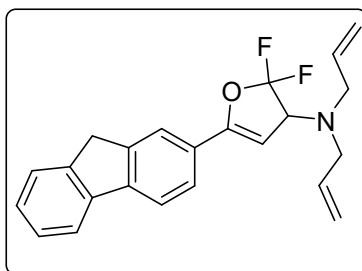
¹H NMR (500 MHz, Chloroform-*d*) δ 8.47 (d, J = 9.3 Hz, 1H), 8.15 (d, J = 7.6 Hz, 2H), 8.12 – 8.02 (m, 4H), 7.99 – 7.95 (m, 2H), 5.86 (ddt, J = 16.7, 10.1, 6.2 Hz, 2H), 5.60 (t, J = 2.3 Hz, 1H), 5.27 (dq, J = 17.1, 1.7 Hz, 2H), 5.17 (dq, J = 10.2, 1.4 Hz, 2H), 4.62 (ddd, J = 15.3, 8.2, 2.6 Hz, 1H), 3.47 (ddt, J = 14.4, 5.6, 1.6 Hz, 2H), 3.37 (ddd, J = 14.6, 7.0, 1.6 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.9 (d, J = 150.8 Hz), -80.8 (d, J = 150.9 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.7 (d, J = 3.3 Hz), 136.3, 132.4, 131.3 (dd, J = 274.7, 272.5 Hz), 131.2, 130.6, 128.7, 128.6, 128.6, 127.2, 126.3, 126.1, 125.9, 125.7, 124.8, 124.5, 124.5, 124.1, 123.2, 117.5, 104.2 (d, J = 3.2 Hz), 67.3 (dd, J = 34.7, 19.2 Hz), 53.5, 27.0.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₆H₂₂F₂NO⁺ 402.1664; Found: 402.1664

N, N-diallyl-5-(9H-fluoren-2-yl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5am)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 67% (49.0 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

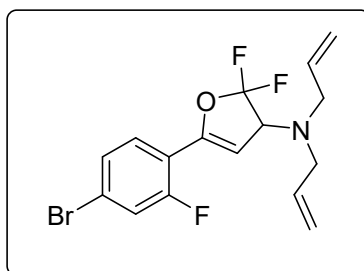
¹H NMR (500 MHz, Chloroform-*d*) δ 7.84 – 7.70 (m, 3H), 7.65 – 7.52 (m, 2H), 7.38 (dtd, J = 28.2, 7.4, 1.2 Hz, 2H), 5.86 (ddt, J = 16.7, 10.0, 6.2 Hz, 2H), 5.56 (t, J = 2.3 Hz, 1H), 5.27 (dq, J = 17.0, 1.7 Hz, 2H), 5.18 (dq, J = 10.1, 1.5 Hz, 2H), 4.53 (ddd, J = 15.0, 8.2, 2.7 Hz, 1H), 3.92 (s, 2H), 3.40 (ddt, J = 14.5, 5.5, 1.7 Hz, 2H), 3.31 (ddd, J = 14.9, 7.1, 1.8 Hz, 2H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.9 (d, J = 150.5 Hz), -80.5 (d, J = 150.5 Hz).

¹³C NMR (126 MHz, Chloroform-*d*) δ 154.5 (d, J = 3.4 Hz), 143.8, 143.5, 143.4, 140.9, 136.3, 131.4 (dd, J = 274.7, 272.5 Hz), 127.4, 127.0, 126.6, 125.2, 124.3, 121.9, 120.3, 119.9, 117.4, 97.9 (d, J = 3.5 Hz), 67.1 (dd, J = 34.6, 19.4 Hz), 53.3, 36.9.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₃H₂₂F₂NO⁺ 366.1664; Found: 366.1660

N,N-diallyl-5-(4-bromo-2-fluorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5an)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 71% (53.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

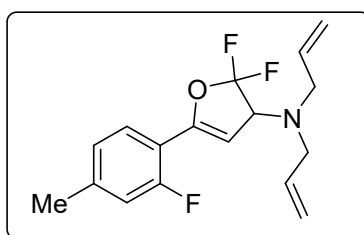
¹H NMR (500 MHz, Chloroform-*d*) δ 7.50 (t, J = 8.2 Hz, 1H), 7.37 – 7.28 (m, 2H), 5.87 – 5.74 (m, 3H), 5.25 (d, J = 1.7 Hz, 1H), 5.21 (d, J = 1.7 Hz, 1H), 5.16 (d, J = 1.6 Hz, 1H), 5.14 (d, J = 1.6 Hz, 1H), 4.48 (ddd, J = 15.3, 8.6, 2.8 Hz, 1H), 3.43 – 3.15 (m, 4H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -64.0 (d, J = 150.5 Hz), -81.3 (d, J = 150.3 Hz), -109.0.

¹³C NMR (126 MHz, Chloroform-*d*) δ 160.1 (d, J = 258.4 Hz), 147.3, 136.0, 133.0 (dd, J = 274.7, 272.5 Hz), 128.4 (d, J = 3.0 Hz), 127.8 (d, J = 3.6 Hz), 123.7 (d, J = 9.9 Hz), 119.7, 119.5, 117.6, 115.8 (d, J = 11.0 Hz), 105.1 (dd, J = 13.5, 3.6 Hz), 67.2 (dd, J = 34.6, 19.3 Hz), 53.4 (d, J = 2.6 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₆BrF₃NO⁺ 374.0362; Found: 374.0368

N,N-diallyl-2,2-difluoro-5-(2-fluoro-4-methylphenyl)-2,3-dihydrofuran-3-amine (5ao)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 64% (39.7 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.50 (t, J = 7.9 Hz, 1H), 7.04 – 6.90 (m, 2H), 5.90 – 5.74 (m, 2H), 5.69 (q, J = 2.7 Hz, 1H), 5.26 – 5.19 (m, 2H), 5.18 – 5.11 (m, 2H), 4.49 (ddd, J = 15.2, 8.5, 2.8 Hz, 1H), 3.34 (ddt, J = 14.5, 5.7, 1.6 Hz, 2H), 3.26 (ddd, J = 14.6, 6.9, 1.6 Hz, 2H), 2.37 (s, 3H).

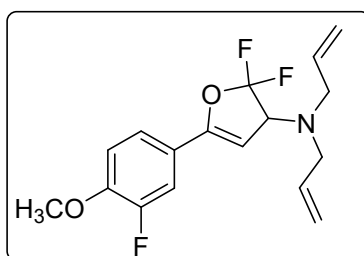
¹⁹F NMR (471 MHz, Chloroform-*d*) δ -63.8 (d, J = 150.9 Hz), -81.5 (d, J = 150.9 Hz), -112.3.

¹³C NMR (126 MHz, Chloroform-*d*) δ 160.4 (d, J = 253.4 Hz), 148.3 (d, J = 3.7 Hz), 142.0 (d, J = 8.6 Hz), 136.2, 130.6 (dd, J = 274.7, 272.5 Hz), 127.2 (d, J = 2.8 Hz), 125.0 (d, J = 3.0 Hz), 117.4, 116.4

(d, $J = 21.3$ Hz), 113.8 (d, $J = 11.4$ Hz), 103.3 (dd, $J = 13.4, 3.5$ Hz), 67.2 (dd, $J = 34.5, 19.2$ Hz), 53.3 (d, $J = 2.6$ Hz), 21.3 (d, $J = 1.7$ Hz).

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{17}H_{19}F_3NO^+$ 310.1413; Found: 310.1416

N,N-diallyl-2,2-difluoro-5-(3-fluoro-4-methoxyphenyl)-2,3-dihydrofuran-3-amine (5ap)



Following the experimental procedures (Condition A) on 0.2 mmol scale, brown oil, yield: 82% (53.4 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

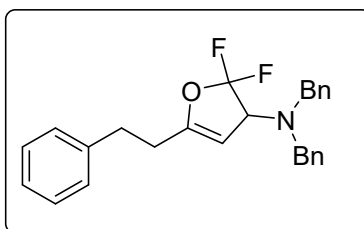
1H NMR (500 MHz, Chloroform-*d*) δ 7.53 (t, $J = 8.7$ Hz, 1H), 6.75 – 6.63 (m, 2H), 5.88 – 5.73 (m, 2H), 5.60 (q, $J = 2.7$ Hz, 1H), 5.27 – 5.10 (m, 4H), 4.48 (ddd, $J = 15.2, 8.3, 2.7$ Hz, 1H), 3.82 (s, 3H), 3.34 (ddt, $J = 14.6, 5.6, 1.6$ Hz, 2H), 3.29 – 3.22 (m, 2H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -68.6 (d, $J = 150.9$ Hz), -86.4 (d, $J = 150.8$ Hz), -113.8.

^{13}C NMR (126 MHz, Chloroform-*d*) δ 161.7 (d, $J = 11.6$ Hz), 161.5 (d, $J = 253.5$ Hz), 148.2, 148.2 (d, $J = 7.8$ Hz), 136.3, 130.6 (dd, $J = 274.7, 272.5$ Hz), 128.2 (d, $J = 4.3$ Hz), 117.4 (d, $J = 4.9$ Hz), 109.7 (d, $J = 2.8$ Hz), 109.4 (d, $J = 11.6$ Hz), 102.3 (d, $J = 25.0$ Hz), 101.7 (dd, $J = 12.9, 3.4$ Hz), 67.2 (dd, $J = 34.6, 19.3$ Hz), 55.7, 53.3 (d, $J = 2.6$ Hz).

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{17}H_{19}F_3NO_2^+$ 326.1362; Found: 326.1369

N,N-dibenzyl-2,2-difluoro-5-phenethyl-2,3-dihydrofuran-3-amine (5aq)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 71% (57.5mg), column chromatography (silica gel, PE: EA = 20:1, v/v).

1H NMR (500 MHz, Chloroform-*d*) δ 7.40 – 7.34 (m, 8H), 7.29 (tdd, $J = 7.3, 4.9, 2.3$ Hz, 4H), 7.24 – 7.16 (m, 3H), 4.82 (qd, $J = 2.2, 1.2$ Hz, 1H), 4.25 – 4.13 (m, 1H), 3.82 – 3.70 (m, 4H), 2.88 (t, $J = 7.6$

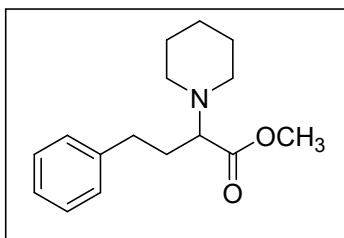
Hz, 2H), 2.57 (tt, $J = 7.3, 1.5$ Hz, 2H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -62.2 (d, $J = 150.8$ Hz), -80.8 (d, $J = 150.8$ Hz).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 157.0 (d, $J = 2.9$ Hz), 140.2, 139.5, 131.8 (dd, $J = 274.7, 272.5$ Hz), 128.7, 128.5, 128.4, 128.3, 127.1, 126.34, 99.7 (d, $J = 3.5$ Hz), 65.7 (dd, $J = 34.5, 19.0$ Hz), 54.2, 31.8, 29.9.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{26}\text{H}_{26}\text{F}_2\text{NO}^+$ 406.1982; Found: 406.1986

methyl 4-phenyl-2-(piperidin-1-yl) butanoate (6a)



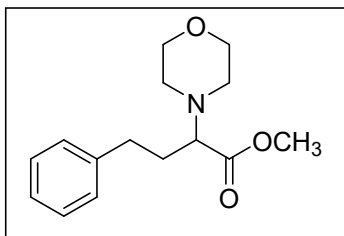
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 75% (39.3 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.33 – 7.28 (m, 2H), 7.22 (d, $J = 7.6$ Hz, 3H), 3.71 (s, 3H), 3.17 (t, $J = 7.5$ Hz, 1H), 2.66 (dtd, $J = 19.6, 10.6, 9.1, 4.9$ Hz, 4H), 2.48 (ddd, $J = 11.2, 7.1, 3.4$ Hz, 2H), 2.09 – 1.98 (m, 2H), 1.59 (ddqd, $J = 25.2, 12.7, 6.4, 3.7$ Hz, 4H), 1.47 (p, $J = 5.9$ Hz, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 172.8, 141.7, 128.6, 128.3, 125.9, 67.2, 50.9, 50.7, 32.3, 31.0, 26.6, 24.7.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{16}\text{H}_{24}\text{NO}_2^+$ 262.1802; Found: 262.1805

methyl 2-morpholino-4-phenylbutanoate (6b)



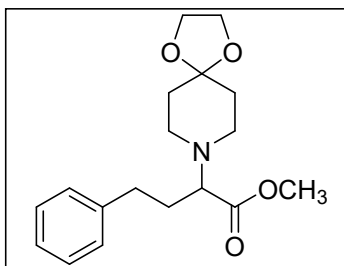
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 73% (38.6 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.32 – 7.28 (m, 2H), 7.24 – 7.17 (m, 3H), 3.78 – 3.65 (m, 7H), 3.17 (t, $J = 7.6$ Hz, 1H), 2.72 – 2.64 (m, 4H), 2.60 – 2.52 (m, 2H), 2.08 – 1.98 (m, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 172.2, 141.4, 128.5, 128.4, 126.0, 67.4, 66.7, 51.1, 49.9, 32.1, 30.5.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{15}\text{H}_{22}\text{NO}_3^+$ 264.1594; Found: 264.1591

methyl 4-phenyl-2-(1,4-dioxo-8-azaspiro[4.5]decan-8-yl)butanoate (6c)



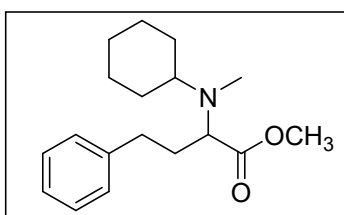
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 83% (53.2 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.29 – 7.23 (m, 2H), 7.20 – 7.15 (m, 3H), 3.93 (s, 4H), 3.68 (s, 3H), 3.19 (t, $J = 7.5$ Hz, 1H), 2.74 (dddd, $J = 11.5, 7.5, 3.9, 1.2$ Hz, 2H), 2.65 (td, $J = 7.6, 2.2$ Hz, 2H), 2.60 – 2.53 (m, 2H), 2.07 – 1.94 (m, 2H), 1.80 – 1.65 (m, 4H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 172.5, 141.5, 128.5, 128.4, 125.9, 107.3, 66.2, 64.2, 51.1, 35.5, 32.3, 31.0.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{18}\text{H}_{26}\text{NO}_4^+$ 320.1856; Found: 320.1855

methyl 4-phenyl-2-(piperidin-1-yl) butanoate (6d)



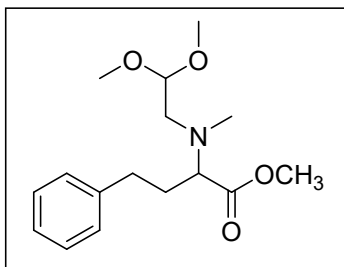
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 75% (43.5 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.32 (q, $J = 7.7$ Hz, 2H), 7.22 (q, $J = 8.6, 7.9$ Hz, 3H), 3.71 (d, $J = 7.6$ Hz, 3H), 3.45 (q, $J = 7.3$ Hz, 1H), 2.76 – 2.58 (m, 2H), 2.52 (ddt, $J = 14.7, 11.3, 5.6$ Hz, 1H), 2.41 (d, $J = 7.1$ Hz, 3H), 2.11 – 1.95 (m, 2H), 1.86 – 1.72 (m, 4H), 1.63 (td, $J = 8.0, 4.0$ Hz, 1H), 1.34 – 1.18 (m, 4H), 1.12 (ddt, $J = 16.5, 7.9, 4.2$ Hz, 1H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 174.5, 141.8, 128.5, 128.3, 125.9, 62.4, 61.3, 51.1, 32.7, 32.5, 31.9, 30.7, 30.3, 26.2, 26.0, 25.9.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{18}\text{H}_{28}\text{NO}_2^+$ 290.2115; Found: 290.2113

methyl 2-((2,2-dimethoxyethyl)(methyl)amino)-4-phenylbutanoate (6e)



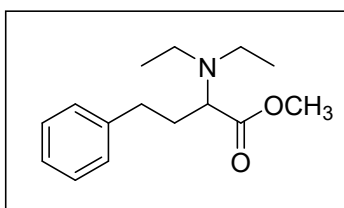
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 79% (46.9 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.30 – 7.25 (m, 2H), 7.22 – 7.16 (m, 3H), 4.42 (t, J = 5.2 Hz, 1H), 3.68 (s, 3H), 3.36 (d, J = 4.5 Hz, 6H), 3.28 (dd, J = 8.1, 6.9 Hz, 1H), 2.83 – 2.71 (m, 2H), 2.65 (ddd, J = 11.8, 8.4, 5.9 Hz, 2H), 2.42 (s, 3H), 2.08 – 1.90 (m, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 173.2, 141.7, 128.6, 128.4, 125.9, 103.75, 66.1, 55.8, 53.8, 53.6, 51.0, 39.4, 32.4, 31.6.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{16}\text{H}_{26}\text{NO}_4^+$ 296.1856; Found: 296.1862

methyl 2-(diethylamino)-4-phenylbutanoate (6f)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 67% (33.4 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

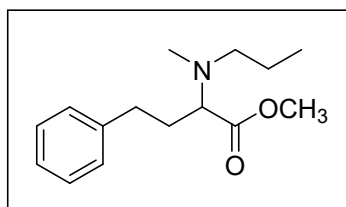
^1H NMR (500 MHz, Chloroform-*d*) δ 7.33 – 7.29 (m, 2H), 7.25 – 7.19 (m, 3H), 3.71 (s, 3H), 3.41 (dd, J = 7.8, 7.0 Hz, 1H), 2.81 – 2.64 (m, 4H), 2.52 (dq, J = 13.9, 6.9 Hz, 2H), 2.08 (ddt, J = 13.7, 9.4, 6.8 Hz, 1H), 1.96 (dddd, J = 13.7, 9.5, 7.8, 5.9 Hz, 1H), 1.06 (t, J = 7.1 Hz, 6H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 174.0, 141.8, 128.5, 128.3, 125.9, 62.3, 54.1, 44.6, 32.6, 31.5,

14.1.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₅H₂₄NO₂⁺250.1802; Found: 250.1799

methyl 2-(methyl(propyl)amino)-4-phenylbutanoate (6g)



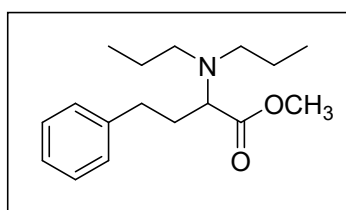
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 38% (19.0 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.33 – 7.28 (m, 2H), 7.21 (ddd, *J* = 8.0, 7.2, 1.2 Hz, 3H), 3.71 (s, 3H), 3.27 (t, *J* = 7.5 Hz, 1H), 2.69 (qdd, *J* = 13.7, 9.2, 6.4 Hz, 2H), 2.54 (ddd, *J* = 12.4, 8.9, 6.2 Hz, 1H), 2.39 (ddd, *J* = 12.4, 8.8, 5.8 Hz, 1H), 2.34 (s, 3H), 2.12 – 1.91 (m, 2H), 1.58 – 1.41 (m, 2H), 0.92 (t, *J* = 7.4 Hz, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 173.1, 141.7, 128.5, 128.4, 125.9, 65.6, 56.2, 50.9, 37.8, 32.4, 31.3, 21.3, 11.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₅H₂₄NO₂⁺250.1802; Found: 250.1803

methyl 2-(dipropylamino)-4-phenylbutanoate (6h)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 42% (23.4 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

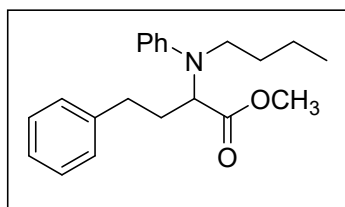
¹H NMR (500 MHz, Chloroform-*d*) δ 7.34 – 7.27 (m, 2H), 7.22 (dt, *J* = 8.0, 1.8 Hz, 3H), 3.70 (s, 3H), 3.36 (dd, *J* = 8.3, 6.5 Hz, 1H), 2.80 (ddd, *J* = 13.9, 9.7, 5.7 Hz, 1H), 2.61 (dddd, *J* = 29.0, 13.1, 9.4, 6.7 Hz, 3H), 2.45 (ddd, *J* = 13.3, 8.6, 5.0 Hz, 2H), 2.06 (ddt, *J* = 13.8, 9.7, 6.5 Hz, 1H), 1.93 (dddd, *J* = 13.8, 9.8, 8.2, 5.7 Hz, 1H), 1.53 – 1.37 (m, 4H), 0.90 (t, *J* = 7.3 Hz, 6H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 174.0, 142.0, 128.5, 128.3, 125.8, 62.9, 53.3, 50.9, 32.7, 31.7,

22.1, 11.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₇H₂₈NO₂⁺ 278.2115; Found: 278.2110

methyl 2-(butyl(phenyl)amino)-4-phenylbutanoate (6i)



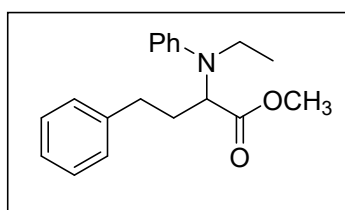
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 76% (49.5 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.37 – 7.31 (m, 2H), 7.30 – 7.18 (m, 5H), 6.87 – 6.75 (m, 3H), 4.34 (dd, *J* = 8.7, 5.9 Hz, 1H), 3.73 (s, 3H), 3.42 – 3.25 (m, 2H), 2.85 – 2.68 (m, 2H), 2.41 (ddt, *J* = 13.0, 9.3, 6.5 Hz, 1H), 2.23 (dtd, *J* = 14.4, 9.0, 5.8 Hz, 1H), 1.72 – 1.55 (m, 2H), 1.41 (q, *J* = 7.4 Hz, 2H), 1.01 (t, *J* = 7.4 Hz, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 173.5, 148.7, 141.2, 129.1, 128.6, 128.5, 126.1, 117.8, 114.7, 61.9, 51.8, 47.2, 32.7, 31.8, 30.4, 20.4, 14.0.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₁H₂₈NO₂⁺ 326.2115; Found: 326.2109

methyl 2-(ethyl(phenyl)amino)-4-phenylbutanoate (6j)



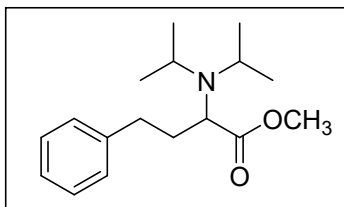
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 90% (53.8 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.37 – 7.20 (m, 7H), 6.86 – 6.77 (m, 3H), 4.37 (dd, *J* = 8.8, 6.0 Hz, 1H), 3.74 (s, 3H), 3.56 – 3.39 (m, 2H), 2.88 – 2.68 (m, 2H), 2.46 – 2.34 (m, 1H), 2.24 (dtd, *J* = 14.5, 9.0, 5.8 Hz, 1H), 1.26 (t, *J* = 7.0 Hz, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 173.5, 148.3, 141.2, 129.2, 128.6, 128.5, 126.2, 117.7, 114.3, 61.4, 51.9, 41.4, 32.7, 31.8, 14.0.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₉H₂₄NO₂⁺ 298.1802; Found: 298.1803

methyl 2-(diisopropylamino)-4-phenylbutanoate (6k)



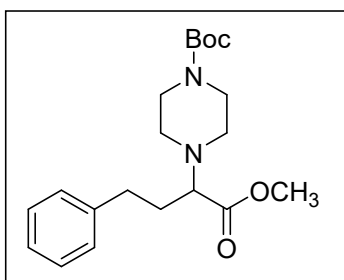
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 82% (45.6 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.34 – 7.27 (m, 2H), 7.24 – 7.19 (m, 3H), 3.70 (s, 3H), 3.48 (t, *J* = 7.4 Hz, 1H), 3.38 (hept, *J* = 6.7 Hz, 2H), 2.72 (ddd, *J* = 13.8, 10.3, 5.9 Hz, 1H), 2.59 (ddd, *J* = 13.8, 10.5, 5.5 Hz, 1H), 2.14 – 2.06 (m, 1H), 1.85 (dddd, *J* = 13.4, 10.6, 7.5, 5.9 Hz, 1H), 1.05 (dd, *J* = 6.7, 4.7 Hz, 12H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 176.0, 142.1, 128.4, 128.4, 125.8, 56.6, 51.3, 45.2, 33.6, 33.1, 23.5, 21.8.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₇H₂₈NO₂⁺ 278.2115; Found: 278.2116.

tert-butyl 4-(1-methoxy-1-oxo-4-phenylbutan-2-yl) piperazine-1-carboxylate (6l)



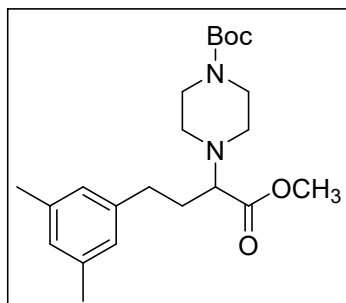
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 88% (64.0 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.28 (dd, *J* = 8.4, 6.5 Hz, 2H), 7.22 – 7.14 (m, 3H), 3.68 (d, *J* = 0.8 Hz, 3H), 3.48 – 3.33 (m, 4H), 3.18 (t, *J* = 7.5 Hz, 1H), 2.64 (dddd, *J* = 21.6, 10.8, 6.7, 3.1 Hz, 4H), 2.47 (q, *J* = 8.2, 6.3 Hz, 2H), 2.01 (tdd, *J* = 13.7, 10.4, 6.6 Hz, 2H), 1.45 (d, *J* = 0.8 Hz, 9H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 172.3, 154.7, 141.3, 128.5, 128.4, 126.0, 79.6, 66.4, 51.1, 49.2, 32.1, 30.6, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₀H₃₁N₂O₄⁺ 363.2278; Found: 363.2282

tert-butyl 4-(4-(3,5-dimethylphenyl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (6m)



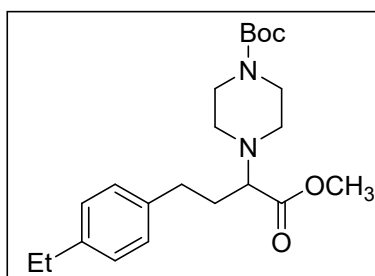
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 90% (70.3 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 6.85 – 6.77 (m, 3H), 3.69 (s, 3H), 3.44 (ddt, *J* = 15.3, 12.0, 5.9 Hz, 4H), 3.19 (t, *J* = 7.5 Hz, 1H), 2.66 – 2.53 (m, 4H), 2.51 – 2.42 (m, 2H), 2.28 (s, 6H), 2.04 – 1.91 (m, 2H), 1.45 (s, 9H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 172.3, 154.7, 141.2, 137.8, 127.6, 126.3, 79.6, 66.5, 51.1, 49.2, 32.0, 30.8, 28.4, 21.3.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₂H₃₅N₂O₄⁺ 391.2591; Found: 391.2587

tert-butyl 4-(4-(4-ethylphenyl)-1-methoxy-1-oxobutan-2-yl) piperazine-1-carboxylate (6n)



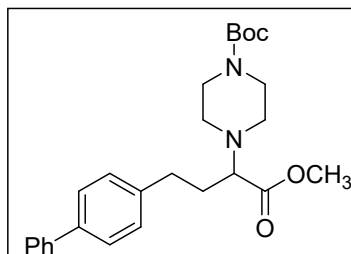
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 89% (67.7 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

¹H NMR (500 MHz, Chloroform-*d*) δ 7.15 – 7.06 (m, 4H), 3.67 (d, *J* = 1.1 Hz, 3H), 3.48 – 3.34 (m, 4H), 3.19 (t, *J* = 7.5 Hz, 1H), 2.67 – 2.57 (m, 6H), 2.52 – 2.42 (m, 2H), 2.06 – 1.92 (m, 2H), 1.45 (s, 9H), 1.22 (t, *J* = 7.6 Hz, 3H).

¹³C NMR (126 MHz, Chloroform-*d*) δ 172.3, 154.7, 141.9, 138.5, 128.4, 127.9, 79.6, 66.4, 51.1, 49.2, 31.7, 30.8, 28.5, 28.4, 15.7.

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{22}H_{35}N_2O_4^+$ 391.2591; Found: 391.2595

tert-butyl 4-(4-([1,1'-biphenyl]-4-yl)-1-methoxy-1-oxobutan-2-yl) piperazine-1-carboxylate (6o)



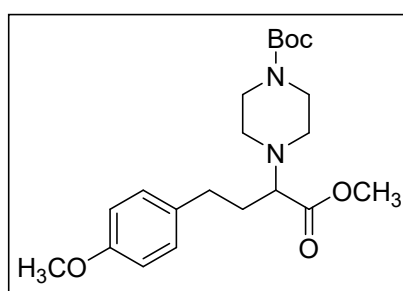
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 95% (83.4 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

1H NMR (500 MHz, Chloroform-*d*) δ 7.61 – 7.56 (m, 2H), 7.55 – 7.51 (m, 2H), 7.43 (t, $J = 7.7$ Hz, 2H), 7.35 – 7.26 (m, 3H), 3.70 (s, 3H), 3.50 – 3.37 (m, 4H), 3.23 (t, $J = 7.5$ Hz, 1H), 2.72 (td, $J = 7.5$, 2.2 Hz, 2H), 2.69 – 2.63 (m, 2H), 2.50 (t, $J = 9.8$ Hz, 2H), 2.07 (dtd, $J = 16.1$, 7.8, 6.4 Hz, 2H), 1.48 (s, 9H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 172.2, 154.7, 141.0, 140.4, 139.0, 129.0, 128.8, 127.2, 127.1, 127.0, 79.6, 66.4, 51.1, 49.2, 31.8, 30.7, 28.5.

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{22}H_{35}N_2O_4^+$ 439.2591; Found: 439.2529

tert-butyl 4-(1-methoxy-4-(4-methoxyphenyl)-1-oxobutan-2-yl)piperazine-1-carboxylate (6p)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 86% (67.8mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

1H NMR (500 MHz, Chloroform-*d*) δ 7.07 (d, $J = 8.6$ Hz, 2H), 6.80 (d, $J = 8.6$ Hz, 2H), 3.76 (s, 3H), 3.66 (s, 3H), 3.42 (ddt, $J = 15.6$, 12.4, 6.1 Hz, 4H), 3.15 (t, $J = 7.5$ Hz, 1H), 2.59 (q, $J = 7.3$ Hz, 4H), 2.48 – 2.41 (m, 2H), 2.01 – 1.90 (m, 2H), 1.43 (s, 9H).

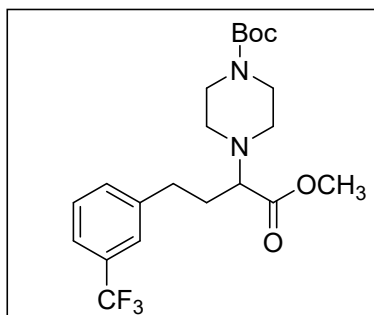
^{13}C NMR (126 MHz, Chloroform-*d*) δ 172.3, 157.9, 154.7, 133.3, 129.4, 113.8, 79.6, 66.2, 55.2, 51.1,

49.1, 31.2, 30.9, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₆H₃₅N₂O₄⁺ 393.2384; Found: 393.2387

tert-butyl 4-(1-methoxy-1-oxo-4-(3-(trifluoromethyl)phenyl)butan-2-yl)piperazine-1-carboxylate

(6q)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 61% (52.6 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

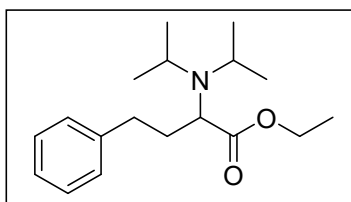
¹H NMR (500 MHz, Chloroform-*d*) δ 7.43 (dt, $J = 3.7, 2.0$ Hz, 2H), 7.40 – 7.33 (m, 2H), 3.66 (s, 3H), 3.41 (qdt, $J = 13.2, 9.8, 3.8$ Hz, 4H), 3.12 (t, $J = 7.6$ Hz, 1H), 2.77 – 2.67 (m, 2H), 2.63 (ddd, $J = 10.8, 6.7, 3.5$ Hz, 2H), 2.46 – 2.40 (m, 2H), 2.04 – 1.95 (m, 2H), 1.44 (s, 9H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -62.59.

¹³C NMR (126 MHz, Chloroform-*d*) δ 172.0, 154.7, 142.2, 131.9, 130.7 (q, $J = 31.9$ Hz), 128.8, 125.3 (d, $J = 3.9$ Hz), 124.2 (q, $J = 272.2$ Hz), 122.9 (q, $J = 3.9$ Hz), 79.6, 66.0, 51.1, 49.1, 31.9, 30.3, 28.4.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₁H₃₀F₃N₂O₄⁺ 431.2152; Found: 431.2155

ethyl 2-(diisopropylamino)-4-phenylbutanoate (6r)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 89% (52.1 mg), column chromatography (silica gel, PE: EA = 15:1, v/v).

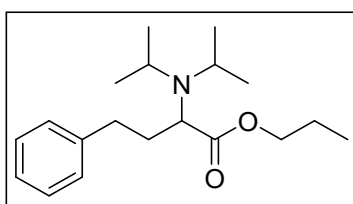
¹H NMR (500 MHz, Chloroform-*d*) δ 7.30 (dd, $J = 8.2, 7.0$ Hz, 2H), 7.24 – 7.18 (m, 3H), 4.15 (dddd,

$J = 17.9, 10.8, 7.1, 3.7$ Hz, 2H), 3.44 (t, $J = 7.4$ Hz, 1H), 3.37 (p, $J = 6.6$ Hz, 2H), 2.72 (ddd, $J = 13.7, 10.4, 5.8$ Hz, 1H), 2.58 (ddd, $J = 13.7, 10.7, 5.4$ Hz, 1H), 2.09 (dddd, $J = 13.1, 10.5, 7.4, 5.4$ Hz, 1H), 1.83 (dddd, $J = 13.5, 10.8, 7.5, 5.9$ Hz, 1H), 1.28 (t, $J = 7.1$ Hz, 3H), 1.04 (dd, $J = 9.4, 6.6$ Hz, 12H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 175.6, 142.2, 128.4, 128.4, 125.8, 60.0, 56.8, 45.2, 33.6, 33.2, 23.5, 22.0, 14.2.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{18}\text{H}_{30}\text{NO}_2^+$ 292.2271; Found: 292.2275

propyl 2-(diisopropylamino)-4-phenylbutanoate (6s)



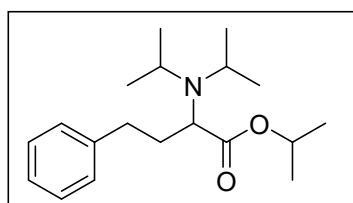
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 92% (56.3 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.30 (td, $J = 7.2, 1.5$ Hz, 2H), 7.23 – 7.17 (m, 3H), 4.09 – 3.99 (m, 2H), 3.45 (t, $J = 7.4$ Hz, 1H), 3.36 (p, $J = 6.6$ Hz, 2H), 2.70 (ddd, $J = 13.8, 10.4, 6.0$ Hz, 1H), 2.58 (ddd, $J = 13.8, 10.6, 5.4$ Hz, 1H), 2.14 – 2.05 (m, 1H), 1.82 (dddd, $J = 13.3, 10.6, 7.3, 6.0$ Hz, 1H), 1.72 – 1.63 (m, 2H), 1.03 (dd, $J = 9.4, 6.6$ Hz, 12H), 0.98 (t, $J = 7.4$ Hz, 3H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 175.6, 142.2, 128.4, 128.4, 125.8, 65.8, 56.8, 45.2, 33.6, 33.2, 23.4, 22.0, 10.6.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{19}\text{H}_{32}\text{NO}_2^+$ 306.2428; Found: 306.2432

isopropyl 2-(diisopropylamino)-4-phenylbutanoate (6t)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 87% (53.4 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

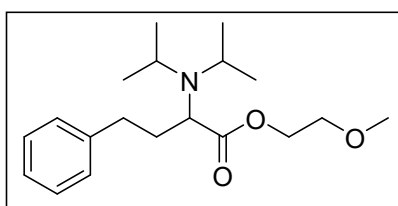
^1H NMR (500 MHz, Chloroform-*d*) δ 7.30 (t, $J = 7.6$ Hz, 2H), 7.21 (dd, $J = 7.9, 2.3$ Hz, 3H), 5.03

(hept, $J = 6.3$ Hz, 1H), 3.45 – 3.31 (m, 3H), 2.70 (ddd, $J = 13.7, 10.5, 5.9$ Hz, 1H), 2.57 (ddd, $J = 13.7, 10.8, 5.3$ Hz, 1H), 2.08 (dddd, $J = 13.1, 10.5, 7.6, 5.3$ Hz, 1H), 1.81 (dddd, $J = 13.4, 10.7, 7.4, 6.0$ Hz, 1H), 1.26 (dd, $J = 9.4, 6.3$ Hz, 6H), 1.03 (dd, $J = 13.5, 6.6$ Hz, 12H)

^{13}C NMR (126 MHz, Chloroform-*d*) δ 175.0, 142.3, 128.4, 128.4, 125.8, 67.0, 57.0, 45.1, 33.6, 33.2, 23.4, 22.1, 21.9, 21.6.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{19}\text{H}_{32}\text{NO}_2^+$ 306.2428; Found: 306.2431

2-methoxyethyl 2-(diisopropylamino)-4-phenylbutanoate (6u)



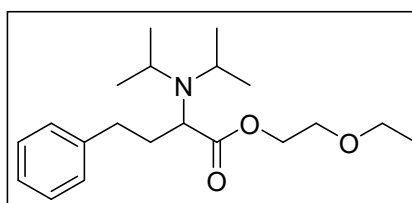
Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 79% (50.9 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

^1H NMR (500 MHz, Chloroform-*d*) δ 7.29 (td, $J = 7.3, 1.4$ Hz, 2H), 7.20 (dt, $J = 8.3, 2.1$ Hz, 3H), 4.27 – 4.18 (m, 2H), 3.60 (t, $J = 4.8$ Hz, 2H), 3.49 (t, $J = 7.4$ Hz, 1H), 3.41 – 3.32 (m, 5H), 2.71 (ddd, $J = 13.7, 10.6, 5.8$ Hz, 1H), 2.56 (ddd, $J = 13.8, 10.8, 5.4$ Hz, 1H), 2.08 (dddd, $J = 13.5, 10.6, 7.3, 5.4$ Hz, 1H), 1.83 (dddd, $J = 13.5, 10.8, 7.6, 5.8$ Hz, 1H), 1.03 (dd, $J = 11.9, 6.7$ Hz, 12H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 175.6, 142.2, 128.4, 128.4, 125.8, 70.5, 63.0, 58.8, 56.7, 45.2, 33.6, 33.1, 23.5, 21.9.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{19}\text{H}_{32}\text{NO}_3^+$ 322.2377; Found: 322.2377

2-ethoxyethyl 2-(diisopropylamino)-4-phenylbutanoate (6v)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 77% (51.9 mg), column chromatography (silica gel, PE: EA = 10:1, v/v).

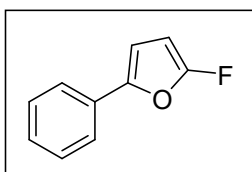
^1H NMR (500 MHz, Chloroform-*d*) δ 7.28 (dd, $J = 8.1, 7.0$ Hz, 2H), 7.22 – 7.15 (m, 3H), 4.29 – 4.16

(m, 2H), 3.63 (t, $J = 4.9$ Hz, 2H), 3.50 (dq, $J = 14.7, 7.2$ Hz, 3H), 3.36 (p, $J = 6.7$ Hz, 2H), 2.71 (ddd, $J = 13.7, 10.5, 5.9$ Hz, 1H), 2.57 (ddd, $J = 13.7, 10.7, 5.4$ Hz, 1H), 2.14 – 2.03 (m, 1H), 1.82 (dddd, $J = 13.4, 10.7, 7.6, 5.9$ Hz, 1H), 1.20 (t, $J = 7.0$ Hz, 3H), 1.02 (dd, $J = 13.6, 6.6$ Hz, 12H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 175.6, 142.2, 128.4, 128.3, 125.8, 68.4, 66.5, 63.2, 56.7, 45.2, 33.6, 33.1, 23.5, 21.9, 15.1.

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{20}\text{H}_{34}\text{NO}_3^+$ 336.2533; Found: 336.2533

2-fluoro-5-phenylfuran (7a)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 82% (26.7 mg), column chromatography (silica gel, PE).

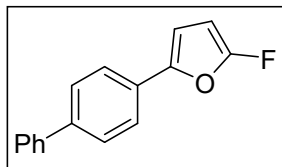
^1H NMR (500 MHz, Chloroform-*d*) δ 7.62 – 7.58 (m, 2H), 7.43 – 7.38 (m, 2H), 7.31 – 7.26 (m, 1H), 6.56 (t, $J = 3.2$ Hz, 1H), 5.54 (dd, $J = 7.1, 3.4$ Hz, 1H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -115.4.

^{13}C NMR (126 MHz, Chloroform-*d*) δ 157.6 (d, $J = 276.3$ Hz), 144.3, 130.1, 128.7, 127.2, 123.0 (d, $J = 1.7$ Hz), 106.0, 83.1 (d, $J = 12.8$ Hz).

HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{10}\text{H}_8\text{FO}^+$ 163.0554; Found: 163.0558

2-([1,1'-biphenyl]-4-yl)-5-fluorofuran (7b)



Following the experimental procedures (Condition A) on 0.2 mmol scale, white solid (mp: 54 – 56 °C), yield: 97% (46.3mg), column chromatography (silica gel, PE).

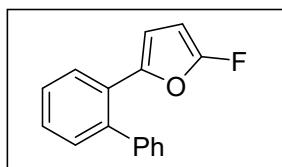
^1H NMR (500 MHz, Chloroform-*d*) δ 7.66 (dq, $J = 9.2, 6.0, 3.8$ Hz, 6H), 7.50 (q, $J = 7.1, 6.6$ Hz, 2H), 7.42 (t, $J = 7.3$ Hz, 1H), 6.61 (t, $J = 3.4$ Hz, 1H), 5.58 (dd, $J = 7.1, 3.4$ Hz, 1H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -115.0.

¹³C NMR (126 MHz, Chloroform-*d*) δ 157.7 (d, $J = 276.2$ Hz), 144.1, 140.5 (d, $J = 3.8$ Hz), 139.9 (d, $J = 3.5$ Hz), 129.0 (d, $J = 3.4$ Hz), 128.9 (d, $J = 3.9$ Hz), 127.5, 127.4, 126.9 (d, $J = 3.4$ Hz), 123.4 (d, $J = 1.8$ Hz), 106.2, 83.3 (d, $J = 12.7$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₂FO⁺ 239.0867; Found: 239.0866

2-([1,1'-biphenyl]-2-yl)-5-fluorofuran (7c)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 68% (32.6 mg), column chromatography (silica gel, PE).

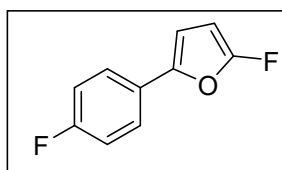
¹H NMR (500 MHz, DMSO-*d*₆) δ 7.64 (dd, $J = 7.8, 1.4$ Hz, 1H), 7.47 – 7.37 (m, 5H), 7.27 (ddd, $J = 16.5, 7.7, 1.5$ Hz, 3H), 5.70 – 5.63 (m, 2H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -116.9.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 156.8 (d, $J = 274.1$ Hz), 143.4, 141.5, 139.3 (d, $J = 1.8$ Hz), 131.1, 129.0, 128.9, 128.3, 128.3, 128.1, 127.9, 127.1, 110.7, 83.9 (d, $J = 12.3$ Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₆H₁₂FO⁺ 239.0867; Found: 239.0867

2-fluoro-5-(4-fluorophenyl)furan (7d)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 81% (29.3 mg), column chromatography (silica gel, PE).

¹H NMR (500 MHz, DMSO-*d*₆) δ 7.47 – 7.41 (m, 3H), 7.14 – 7.05 (m, 2H), 5.94 (dd, $J = 7.0, 3.5$ Hz, 1H).

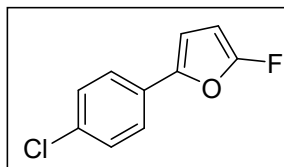
¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -112.6, -115.2.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 163.1 (d, $J = 243.3$ Hz), 157.3 (d, $J = 275.6$ Hz), 143.0 (d, $J = 3.2$ Hz), 132.0 (d, $J = 8.9$ Hz), 131.5 (d, $J = 8.7$ Hz), 119.1 (d, $J = 2.7$ Hz), 114.6 (d, $J = 21.2$ Hz), 109.9

(dd, $J = 24.1, 1.9$ Hz), 109.3, 84.8 (d, $J = 12.3$ Hz).

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{10}H_7F_2O^+$ 181.0459; Found: 181.0458

2-(4-chlorophenyl)-5-fluorofuran (7e)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 84% (33.2 mg), column chromatography (silica gel, PE).

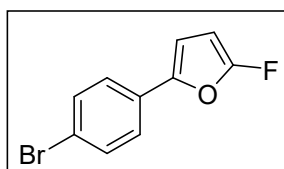
1H NMR (500 MHz, Chloroform-*d*) δ 7.49 – 7.46 (m, 2H), 7.35 – 7.31 (m, 2H), 6.52 (t, $J = 3.2$ Hz, 1H), 5.52 (dd, $J = 7.1, 3.4$ Hz, 1H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -114.8.

^{13}C NMR (126 MHz, Chloroform-*d*) δ 157.7 (d, $J = 277.0$ Hz), 143.3, 128.9, 128.5, 124.2 (d, $J = 1.7$ Hz), 106.5, 83.4 (d, $J = 12.7$ Hz).

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{10}H_7ClFO^+$ 197.0164; Found: 197.0169

2-(4-bromophenyl)-5-fluorofuran (7f)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 81% (38.9 mg), column chromatography (silica gel, PE).

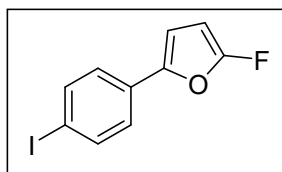
1H NMR (500 MHz, Chloroform-*d*) δ 7.50 – 7.47 (m, 2H), 7.44 – 7.38 (m, 2H), 6.53 (t, $J = 3.3$ Hz, 1H), 5.52 (dd, $J = 7.1, 3.4$ Hz, 1H).

^{19}F NMR (471 MHz, Chloroform-*d*) δ -114.6.

^{13}C NMR (126 MHz, Chloroform-*d*) δ 157.7 (d, $J = 277.2$ Hz), 143.3, 131.9, 129.0, 124.4 (d, $J = 1.7$ Hz), 120.9, 106.6, 83.4 (d, $J = 12.7$ Hz).

HRMS (ESI) m/z: $[M+H]^+$ Calcd. for $C_{10}H_7BrFO^+$ 240.9659; Found: 240.9655

2-fluoro-5-(4-iodophenyl) furan (7g)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 82% (47.3 mg), column chromatography (silica gel, PE).

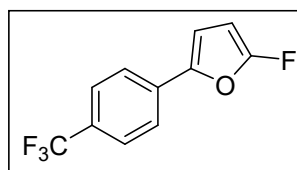
$^1\text{H NMR}$ (500 MHz, $\text{DMSO-}d_6$) δ 7.79 – 7.72 (m, 2H), 7.43 – 7.37 (m, 2H), 7.00 (t, $J = 3.4$ Hz, 1H), 5.91 (dd, $J = 6.9, 3.6$ Hz, 1H).

$^{19}\text{F NMR}$ (471 MHz, $\text{DMSO-}d_6$) δ -115.3.

$^{13}\text{C NMR}$ (126 MHz, $\text{DMSO-}d_6$) δ 157.2 (d, $J = 275.5$ Hz), 143.3, 138.1, 129.3, 125.1 (d, $J = 1.8$ Hz), 108.6, 93.5, 84.8 (d, $J = 12.3$ Hz).

HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}^{10}\text{H}^7\text{FIO}^+$ 288.9520; Found: 272.0713

2-fluoro-5-(4-(trifluoromethyl)phenyl)furan (7h)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 90% (41.5 mg), column chromatography (silica gel, PE).

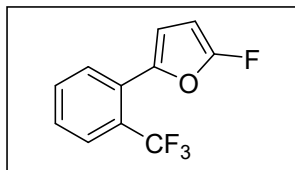
$^1\text{H NMR}$ (500 MHz, $\text{DMSO-}d_6$) δ 7.78 (d, $J = 8.3$ Hz, 2H), 7.72 (d, $J = 8.3$ Hz, 2H), 7.16 (t, $J = 3.5$ Hz, 1H), 5.97 (dd, $J = 7.0, 3.5$ Hz, 1H).

$^{19}\text{F NMR}$ (471 MHz, $\text{DMSO-}d_6$) δ -61.2, -114.4.

$^{13}\text{C NMR}$ (126 MHz, $\text{DMSO-}d_6$) δ 157.7 (d, $J = 276.2$ Hz), 142.7, 133.4, 127.8 (q, $J = 31.5$ Hz), 126.3 (q, $J = 3.9$ Hz), 124.6 (q, $J = 269.6$ Hz), 123.5 (d, $J = 1.5$ Hz), 110.5, 85.1 (d, $J = 12.4$ Hz).

HRMS (ESI) m/z: $[\text{M}+\text{H}]^+$ Calcd. for $\text{C}_{11}\text{H}_7\text{F}_4\text{O}^+$ 231.0428; Found: 231.0425

2-fluoro-5-(2-(trifluoromethyl)phenyl)furan (7i)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 82% (38.0 mg), column chromatography (silica gel, PE).

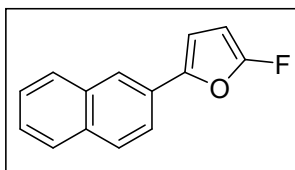
¹H NMR (500 MHz, DMSO-*d*₆) δ 7.83 (d, *J* = 7.9 Hz, 1H), 7.77 – 7.69 (m, 2H), 7.58 (ddt, *J* = 8.2, 5.7, 1.5 Hz, 1H), 6.80 (t, *J* = 3.4 Hz, 1H), 5.95 (dd, *J* = 6.9, 3.5 Hz, 1H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -58.7, -115.2.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 157.6 (d, *J* = 275.2 Hz), 141.0, 133.2, 130.5 (d, *J* = 1.6 Hz), 129.2, 128.1 (d, *J* = 2.3 Hz), 127.2 (q, *J* = 5.8 Hz), 125.5 (q, *J* = 30.2 Hz), 124.3 (q, *J* = 273.4 Hz), 112.4 (d, *J* = 2.6 Hz), 84.5 (d, *J* = 12.2 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₁H₇F₄O⁺ 231.0428; Found: 231.0426

2-fluoro-5-(naphthalen-2-yl) furan (7j)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 95% (40.4 mg), column chromatography (silica gel, PE).

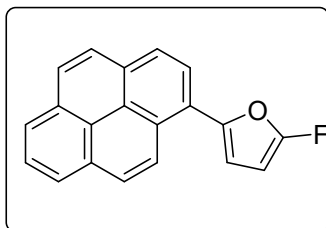
¹H NMR (500 MHz, DMSO-*d*₆) δ 8.09 (d, *J* = 1.8 Hz, 1H), 7.93 (dd, *J* = 9.1, 2.6 Hz, 2H), 7.88 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.77 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.53 – 7.45 (m, 2H), 7.06 (t, *J* = 3.4 Hz, 1H), 5.93 (dd, *J* = 7.0, 3.5 Hz, 1H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -115.5.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 157.3 (d, *J* = 275.2 Hz), 144.3, 133.5, 132.6, 129.0, 128.4, 128.1, 127.2, 126.6, 121.7 (d, *J* = 1.6 Hz), 121.1 (d, *J* = 1.9 Hz), 108.4, 84.7 (d, *J* = 12.4 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₄H₁₀FO⁺ 213.0710; Found: 213.0713

2-fluoro-5-(pyren-1-yl)furan(7k)



Following the experimental procedures (Condition A) on 0.2 mmol scale, white solid (mp: 60 – 62 °C), yield: 53% (30.5 mg), column chromatography (silica gel, PE).

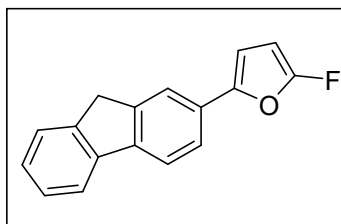
¹H NMR (500 MHz, DMSO-*d*₆) δ 8.58 (d, *J* = 9.3 Hz, 1H), 8.33 – 8.28 (m, 3H), 8.26 – 8.15 (m, 4H), 8.09 (t, *J* = 7.6 Hz, 1H), 7.10 (t, *J* = 3.4 Hz, 1H), 6.09 (dd, *J* = 6.9, 3.5 Hz, 1H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -115.3.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 157.8 (d, *J* = 274.9 Hz), 144.0, 131.4, 131.0, 130.7, 129.0, 128.3, 127.7, 127.1, 127.0, 126.2, 126.0, 125.8, 125.6, 124.7, 124.4, 124.3, 112.4, 84.7 (d, *J* = 12.1 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₂₀H₁₂FO⁺ 287.0867; Found: 287.0861

2-(9H-fluoren-2-yl)-5-fluorofuran (7l)



Following the experimental procedures (Condition A) on 0.2 mmol scale, white solid (mp: 52 – 54 °C), yield: 83% (41.7 mg), column chromatography (silica gel, PE).

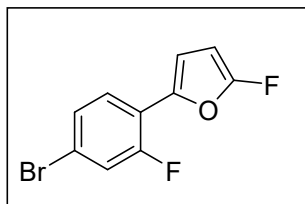
¹H NMR (500 MHz, DMSO-*d*₆) δ 7.91 (dd, *J* = 12.3, 7.7 Hz, 2H), 7.85 – 7.79 (m, 1H), 7.65 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.59 (d, *J* = 7.4 Hz, 1H), 7.42 – 7.36 (m, 1H), 7.32 (td, *J* = 7.4, 1.2 Hz, 1H), 6.99 (t, *J* = 3.4 Hz, 1H), 5.93 (dd, *J* = 7.0, 3.5 Hz, 1H), 3.95 (s, 2H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -116.1.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 157.1 (d, *J* = 274.6 Hz), 144.7, 144.2, 143.7, 141.1, 140.9, 128.4, 127.4, 127.3, 125.6, 122.1, 120.9, 120.6, 119.9, 107.4, 84.6 (d, *J* = 12.5 Hz), 36.9.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₇H₁₂FO⁺ 251.0867; Found: 251.0872

2-(4-bromo-2-fluorophenyl)-5-fluorofuran (7m)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 69% (35.7 mg), column chromatography (silica gel, PE).

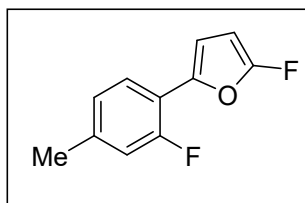
¹H NMR (500 MHz, DMSO-*d*₆) δ 7.60 (dd, *J* = 11.0, 2.0 Hz, 1H), 7.54 (t, *J* = 8.4 Hz, 1H), 7.44 (dd, *J* = 8.5, 1.9 Hz, 1H), 6.82 (q, *J* = 3.4 Hz, 1H), 5.94 (dd, *J* = 7.0, 3.6 Hz, 1H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -112.6, -115.3.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 158.7 (d, *J* = 47.5 Hz), 156.6 (dd, *J* = 68.5, 2.3 Hz), 137.9 (d, *J* = 3.0 Hz), 128.6 (d, *J* = 3.6 Hz), 126.9 (d, *J* = 3.6 Hz), 120.6 (d, *J* = 9.6 Hz), 120.0 (d, *J* = 24.5 Hz), 117.1 (d, *J* = 12.0 Hz), 113.0 (d, *J* = 11.3 Hz), 85.0 (d, *J* = 12.3 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₀H₆BrF₂O⁺ 258.9565; Found: 258.9562

2-fluoro-5-(2-fluoro-4-methylphenyl) furan (7n)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 79% (30.8 mg), column chromatography (silica gel, PE).

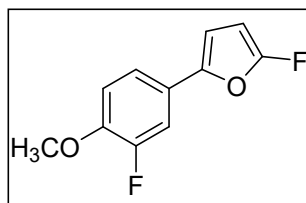
¹H NMR (500 MHz, Chloroform-*d*) δ 7.54 (t, *J* = 8.0 Hz, 1H), 7.01 – 6.88 (m, 2H), 6.65 (q, *J* = 3.3 Hz, 1H), 5.53 (dd, *J* = 7.0, 3.4 Hz, 1H), 2.35 (s, 3H).

¹⁹F NMR (471 MHz, Chloroform-*d*) δ -116.0, -116.3.

¹³C NMR (126 MHz, Chloroform-*d*) δ 159.0 (dd, *J* = 122.1, 2.2 Hz), 156.9 (dd, *J* = 122.1, 2.2 Hz), 139.1 (d, *J* = 2.9 Hz), 138.7 (d, *J* = 8.1 Hz), 125.0 (d, *J* = 3.2 Hz), 125.0 (d, *J* = 3.2 Hz), 116.4 (d, *J* = 21.0 Hz), 115.5 (d, *J* = 12.1 Hz), 110.6 (d, *J* = 12.1 Hz), 83.2 (dd, *J* = 12.5, 2.0 Hz), 21.1 (d, *J* = 1.7 Hz).

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₁H₉F₂O⁺ 195.0616; Found: 195.0613

2-fluoro-5-(3-fluoro-4-methoxyphenyl)furan (7o)



Following the experimental procedures (Condition A) on 0.2 mmol scale, colorless oil, yield: 38% (16.1 mg), column chromatography (silica gel, PE).

¹H NMR (500 MHz, DMSO-*d*₆) δ 7.59 – 7.52 (m, 1H), 6.96 (dt, *J* = 13.3, 2.1 Hz, 1H), 6.87 (dt, *J* = 8.7, 2.8 Hz, 1H), 6.65 (q, *J* = 3.3 Hz, 1H), 5.90 (dt, *J* = 7.0, 3.6 Hz, 1H), 3.80 (s, 3H).

¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -113.1, -117.2.

¹³C NMR (126 MHz, DMSO-*d*₆) δ 160.3 (d, *J* = 11.2 Hz), 159.1 (dd, *J* = 248.6, 2.4 Hz), 156.9 (dd, *J* = 273.9, 1.6 Hz), 139.0 (d, *J* = 2.7 Hz), 126.6 (d, *J* = 4.5 Hz), 111.5 (d, *J* = 2.9 Hz), 110.5 (d, *J* = 12.5 Hz), 109.9 (dd, *J* = 10.0, 2.6 Hz), 102.8 (d, *J* = 25.3 Hz), 84.3 (d, *J* = 11.7 Hz), 56.2.

HRMS (ESI) m/z: [M+H]⁺ Calcd. for C₁₁H₉F₂O₂⁺ 211.0565; Found: 211.0561

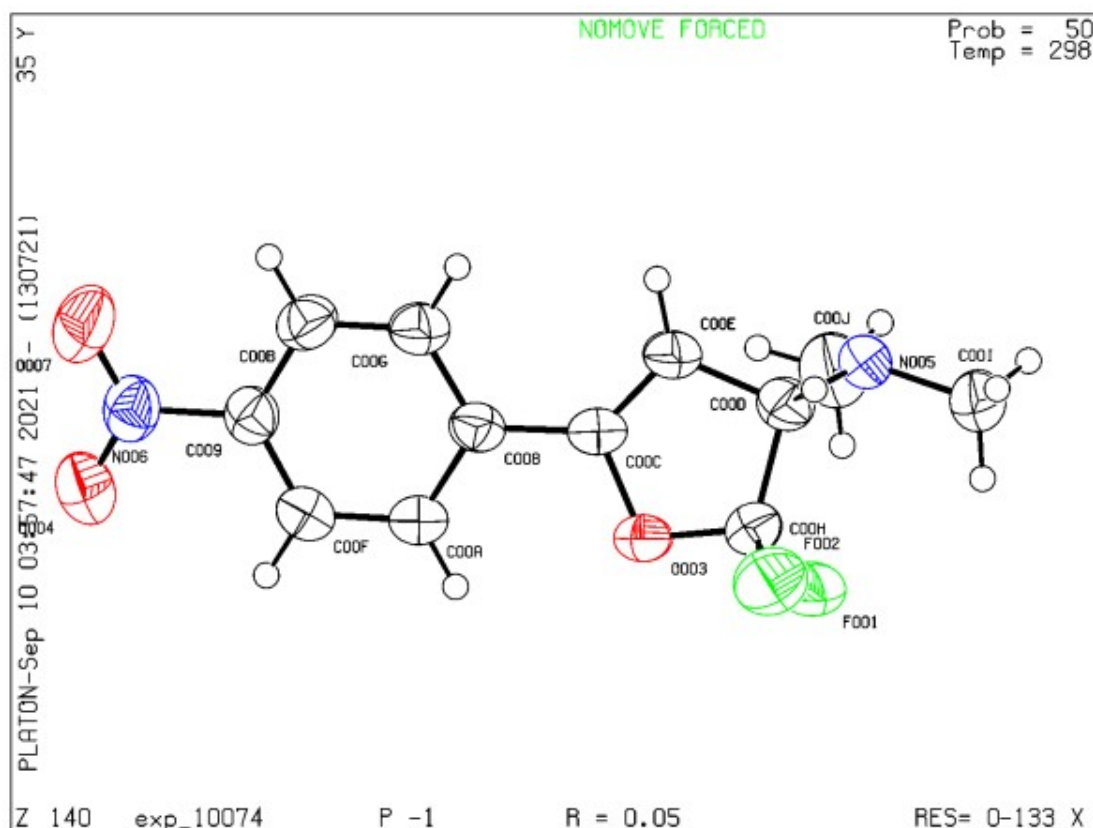
6. Crystal Data

Crystal data of 4r

Method for single crystals cultivation: a pure solid sample (10–20 mg) was dissolved in ethyl acetate (2 mL) in a vial at room temperature, and petroleum ether/hexane (2-3 mL) was added into the above solution slowly while keeping the sample completely dissolved. The vial was properly sealed with parafilm and kept at room temperature to allow the slow evaporation of the solvents until a single crystal was obtained.

The data were collected on a Agilent Gemini E diffractometer (Mo, 50kV 40mA) instrument using Mo-K α radiation ($\lambda = 0.71073 \text{ \AA}$) at 296 K and reduced by CrysAlisPro (Rigaku). The crystal structures were solved and refined using the SHELXTL software package. Refinements were performed with SHELXL-2013 using fullmatrix least-squares calculations on F², with anisotropic displacement parameters for all the nonhydrogen atoms. The crystallographic data have already been deposited at the Cambridge Crystallographic Data Centre.

Crystallographic data for compound **4r** (CCDC-2108960) has been deposited with the Cambridge Crystallographic Data Centre. Copies of the data can be obtained, free of charge, on application to CCDC (Email:deposit@ccdc.cam.ac.uk). Thermal ellipsoids are drawn at 50% probability level



Bond precision: C-C = 0.0033Å Wavelength=0.71073

Cell: a=7.7214(13) b=9.3290(16) c=9.6652(12)
 alpha=112.760(14) beta=100.215(12) gamma=90.299(14)

Temperature: 298 K

	Calculated	Reported
Volume	629.80(19)	629.80(19)
Space group	P -1	P -1
Hall group	-P 1	-P 1
Moiety formula	C12 H12 Br F2 N2 O2	0.67(C12 H12 F2 N2 O3)
Sum formula	C12 H12 Br F2 N2 O2	C8 H8 F1.333 N1.333 O2
Mr	270.24	180.16
Dx,g cm-3	1.425	1.425
Z	2	3
Mu (mm-1)	0.122	0.122
F000	280.0	280.2

F000'	280.19	
h,k,lmax	9,11,11	9,11,11
Nref	2220	2212
Tmin,Tmax		0.661,1.000
Tmin'		

Correction method= # Reported T Limits: Tmin=0.661 Tmax=1.000

AbsCorr = MULTI-SCAN

Data completeness= 0.996

Theta(max)= 25.000

R(reflections)= 0.0487 (1542)

wR2(reflections)= 0.1576(2212)

S = 0.974

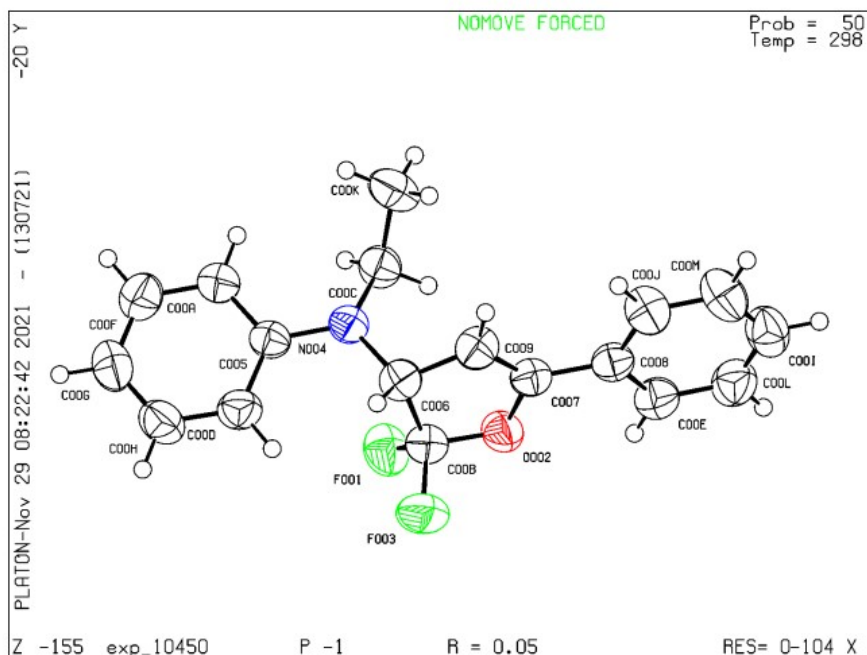
Npar= 173

Crystal data of **5k**

Method for single crystals cultivation: a pure solid sample (10–20 mg) was dissolved in ethyl acetate (2 mL) in a vial at room temperature, and petroleum ether/hexane (2-3 mL) was added into the above solution slowly while keeping the sample completely dissolved. The vial was properly sealed with parafilm and kept at room temperature to allow the slow evaporation of the solvents until a single crystal was obtained.

The data were collected on a Agilent Gemini E diffractometer (Mo, 50kV 40mA) instrument using Mo-K α radiation ($\lambda = 0.71073 \text{ \AA}$) at 296 K and reduced by CrysAlisPro (Rigaku). The crystal structures were solved and refined using the SHELXTL software package. Refinements were performed with SHELXL-2013 using fullmatrix least-squares calculations on F², with anisotropic displacement parameters for all the nonhydrogen atoms. The crystallographic data have already been deposited at the Cambridge Crystallographic Data Centre.

Crystallographic data for compound **5k** (CCDC-2124886) has been deposited with the Cambridge Crystallographic Data Centre. Copies of the data can be obtained, free of charge, on application to CCDC (Email:deposit@ccdc.cam.ac.uk). Thermal ellipsoids are drawn at 50% probability level



Bond precision: C-C = 0.0044A Wavelength=0.71073
 Cell: a=9.4835(17) b=9.8772(13) c=9.941(2)
 alpha=107.076(15) beta=117.98(2) gamma=93.602(12)
 Temperature: 298 K

	Calculated	Reported
Volume	763.6(3)	763.6(3)
Space group	P -1	P -1
Hall group	-P 1	-P 1
Moiety formula	C18 H17 F2 N O	C18 H17 F2 N O
Sum formula	C18 H17 F2 N O	C18 H17 F2 N O
Mr	301.33	301.34
Dx,g cm-3	1.311	1.311
Z	2	2
Mu (mm-1)	0.098	0.098
F000	316.0	316.2
F000'	316.18	
h,k,lmax	11,11,11	11,11,11
Nref	2684	2677
Tmin,Tmax		0.817,1.000
Tmin'		

Correction method= # Reported T Limits: Tmin=0.817 Tmax=1.000
 AbsCorr = MULTI-SCAN

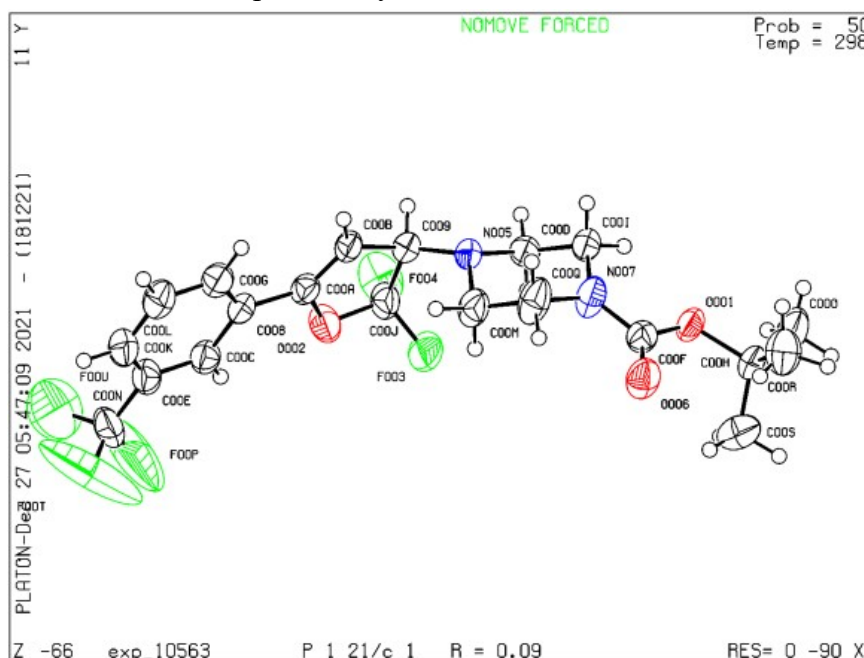
Data completeness= 0.997 Theta(max)= 25.000
 R(reflections)= 0.0541(1669) wR2(reflections)= 0.1767(2677)
 S = 0.937 Npar= 199

Crystal data of **5u**

Method for single crystals cultivation: a pure solid sample (10–20 mg) was dissolved in ethyl acetate (2 mL) in a vial at room temperature, and petroleum ether/hexane (2-3 mL) was added into the above solution slowly while keeping the sample completely dissolved. The vial was properly sealed with parafilm and kept at room temperature to allow the slow evaporation of the solvents until a single crystal was obtained.

The data were collected on a Agilent Gemini E diffractometer (Mo, 50kV 40mA) instrument using Mo-K α radiation ($\lambda = 0.71073 \text{ \AA}$) at 296 K and reduced by CrysAlisPro (Rigaku). The crystal structures were solved and refined using the SHELXTL software package. Refinements were performed with SHELXL-2013 using fullmatrix least-squares calculations on F², with anisotropic displacement parameters for all the nonhydrogen atoms. The crystallographic data have already been deposited at the Cambridge Crystallographic Data Centre.

Crystallographic data for compound **5u** (CCDC-2131035) has been deposited with the Cambridge Crystallographic Data Centre, Copies of the data can be obtained, free of charge, on application to CCDC (Email:deposit@ccdc.cam.ac.uk). Thermal ellipsoids are drawn at 50% probability level



Bond precision:

C-C = 0.0057Å

Wavelength=0.71073

Cell: a=12.2619(15) b=10.4477(16) c=16.5000(17)
alpha=90 beta=99.896(12) gamma=90

Temperature: 298 K

	Calculated	Reported
Volume	2082.3(5)	2082.3(5)
Space group	P 21/c	P 1 21/c 1
Hall group	-P 2ybc	-P 2ybc
Moiety formula	C20 H23 F5 N2 O3	C20 H23 F5 N2 O3
Sum formula	C20 H23 F5 N2 O3	C20 H23 F5 N2 O3
Mr	434.40	434.41
Dx,g cm-3	1.386	1.385
Z	4	4
Mu (mm-1)	0.123	0.123
F000	904.0	904.7
F000'	904.65	
h,k,lmax	14,12,19	14,12,19
Nref	3681	3668
Tmin,Tmax		0.608,1.000
Tmin'		

Correction method= # Reported T Limits: Tmin=0.608 Tmax=1.000

AbsCorr = MULTI-SCAN

Data completeness= 0.996

Theta(max)= 25.000

R(reflections)= 0.0922(2547)

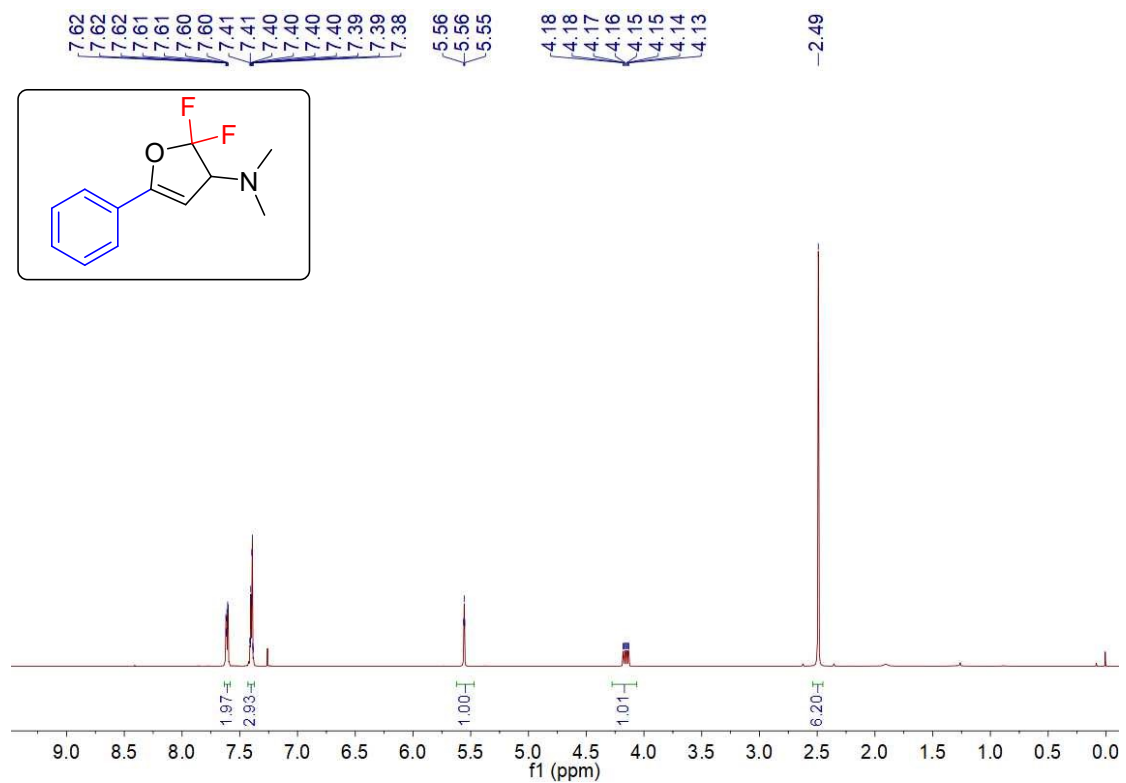
wR2(reflections)= 0.2709(3668)

S = 1.606

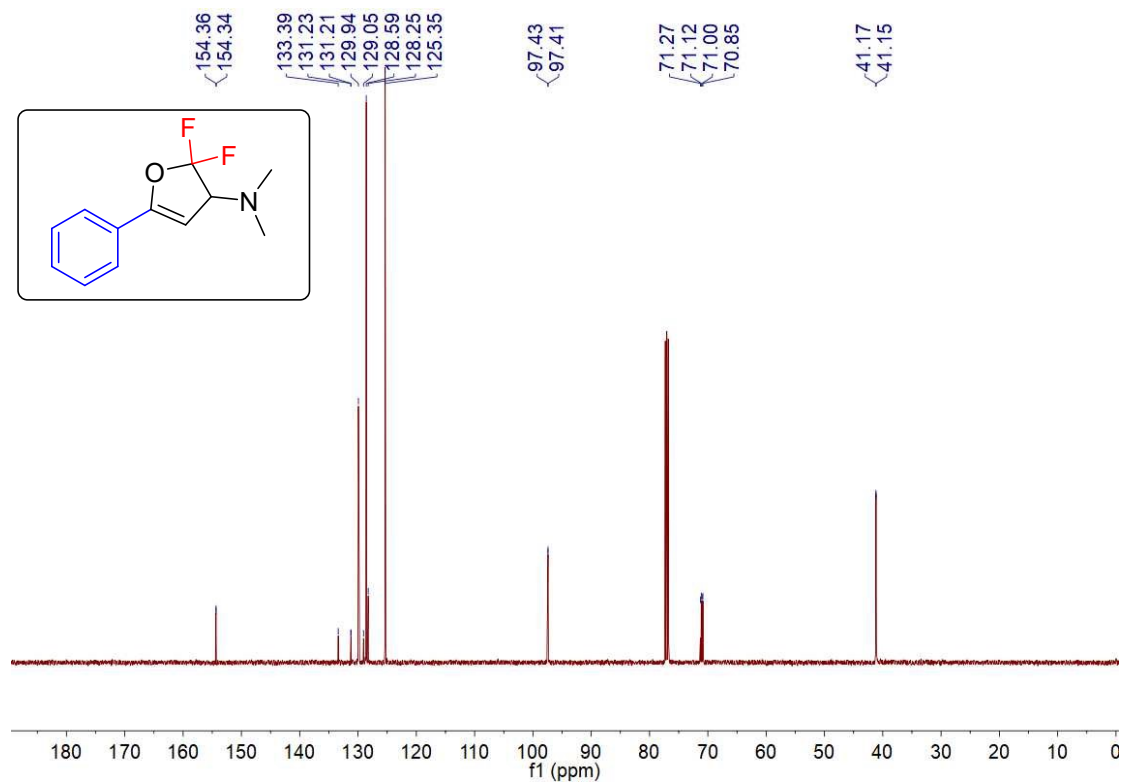
Npar= 273

7. NMR Spectra

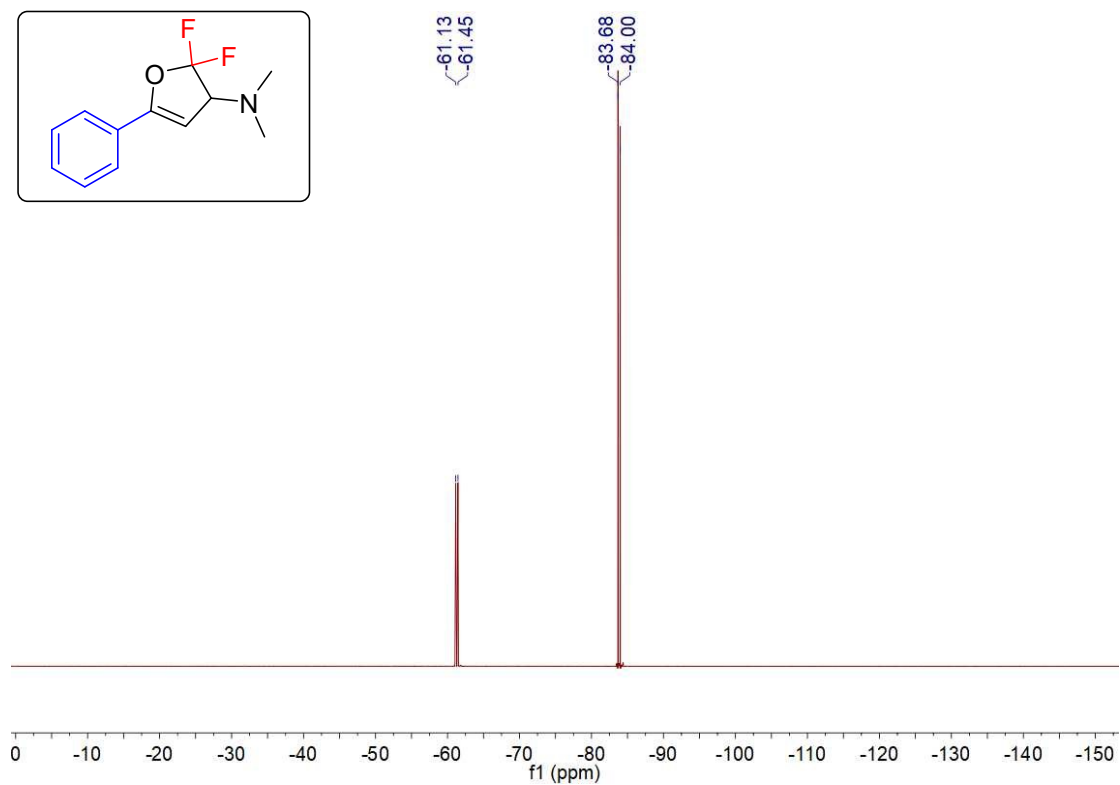
¹H spectrum (CDCl₃) of 2,2-difluoro-N, N-dimethyl-5-phenyl-2,3-dihydrofuran-3-amine (4a)



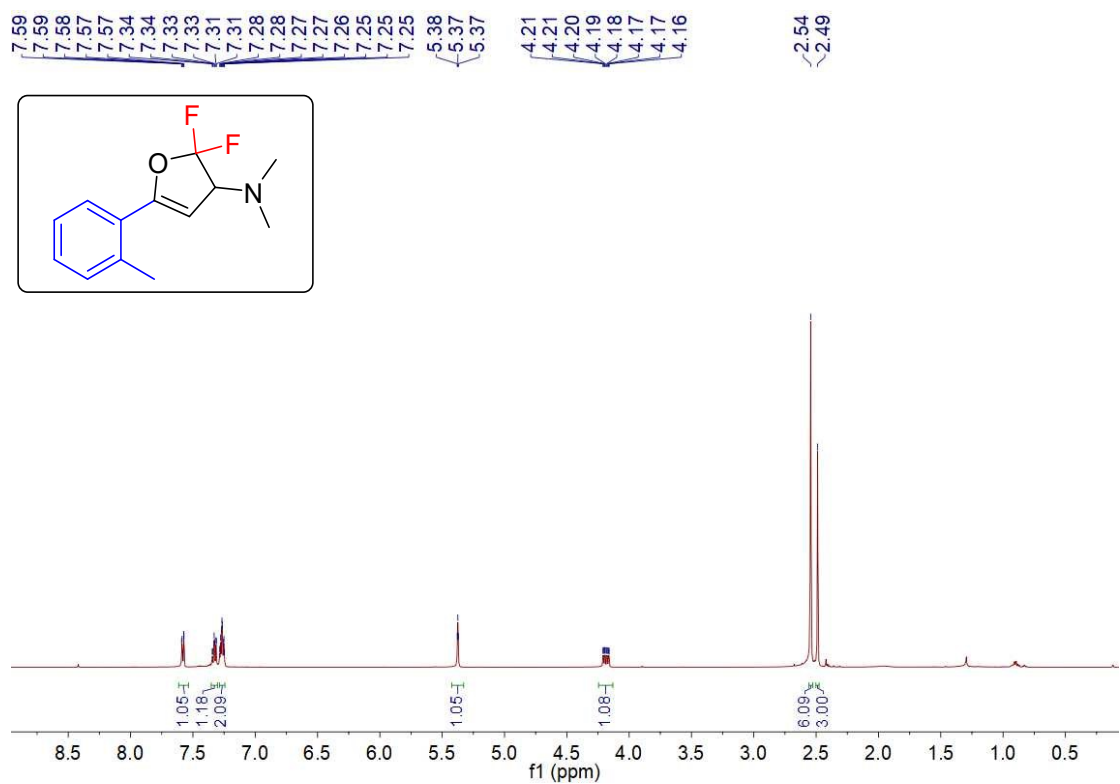
¹³C spectrum (CDCl₃) of 2,2-difluoro-N,N-dimethyl-5-phenyl-2,3-dihydrofuran-3-amine (4a)



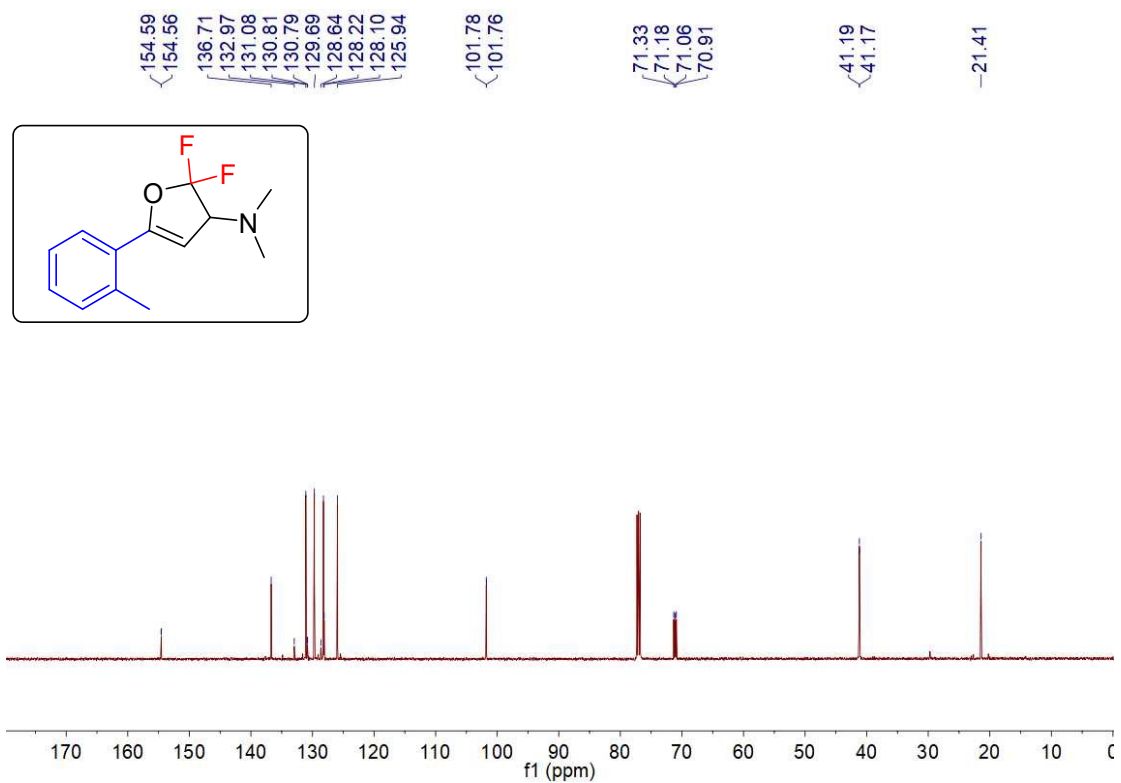
¹⁹F spectrum (CDCl₃) of 2,2-difluoro-N,N-dimethyl-5-phenyl-2,3-dihydrofuran-3-amine (4a)



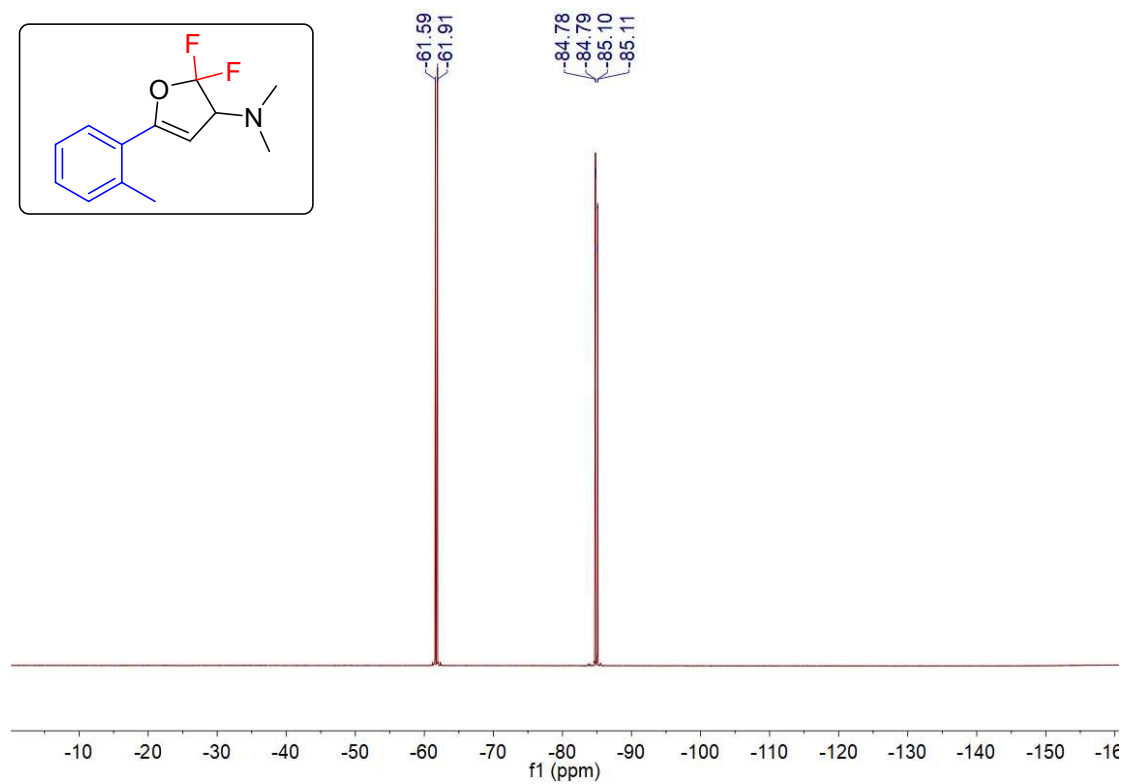
¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(o-tolyl)-2,3-dihydrofuran-3-amine (4b)



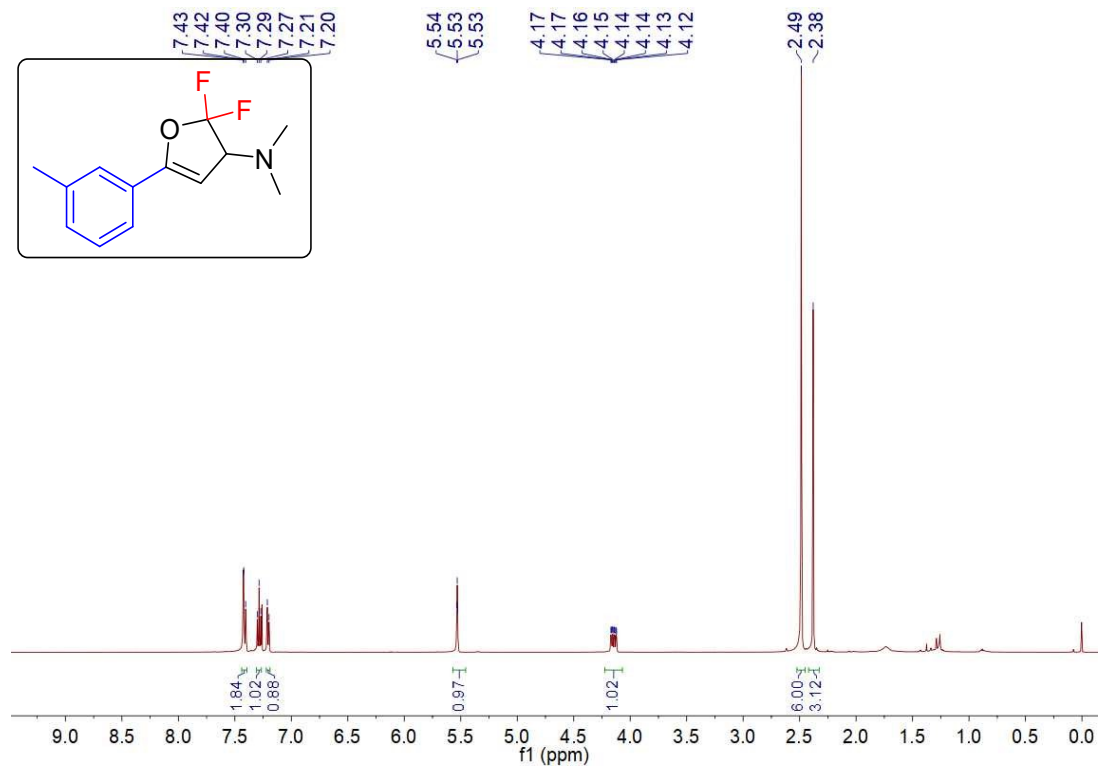
¹³C spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(o-tolyl)-2,3-dihydrofuran-3-amine (4b)



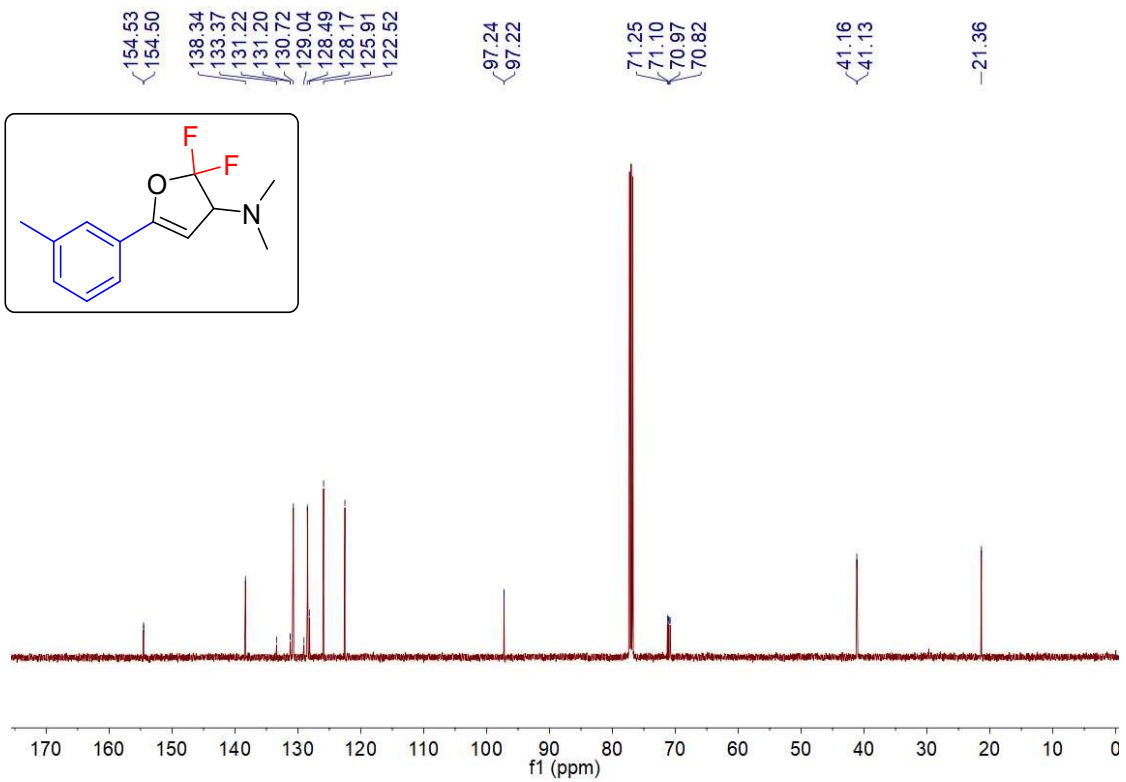
¹⁹F spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(o-tolyl)-2,3-dihydrofuran-3-amine (4b)



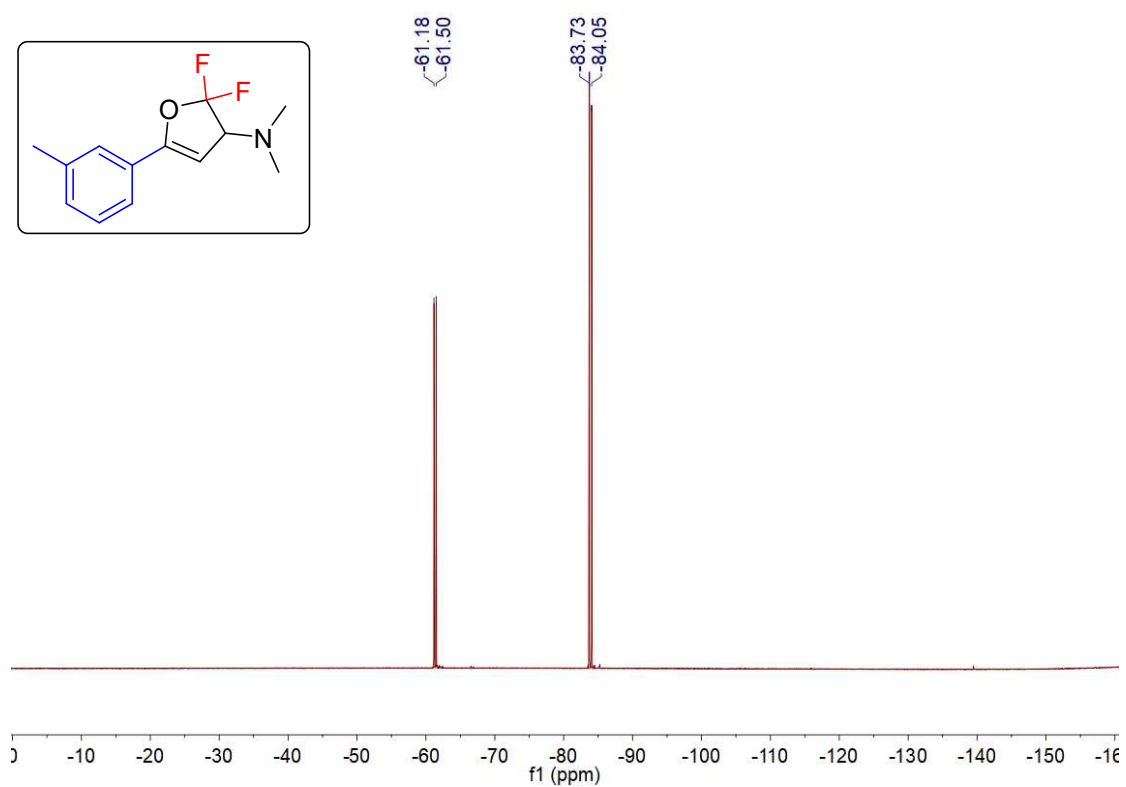
¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(m-tolyl)-2,3-dihydrofuran-3-amine (4c)



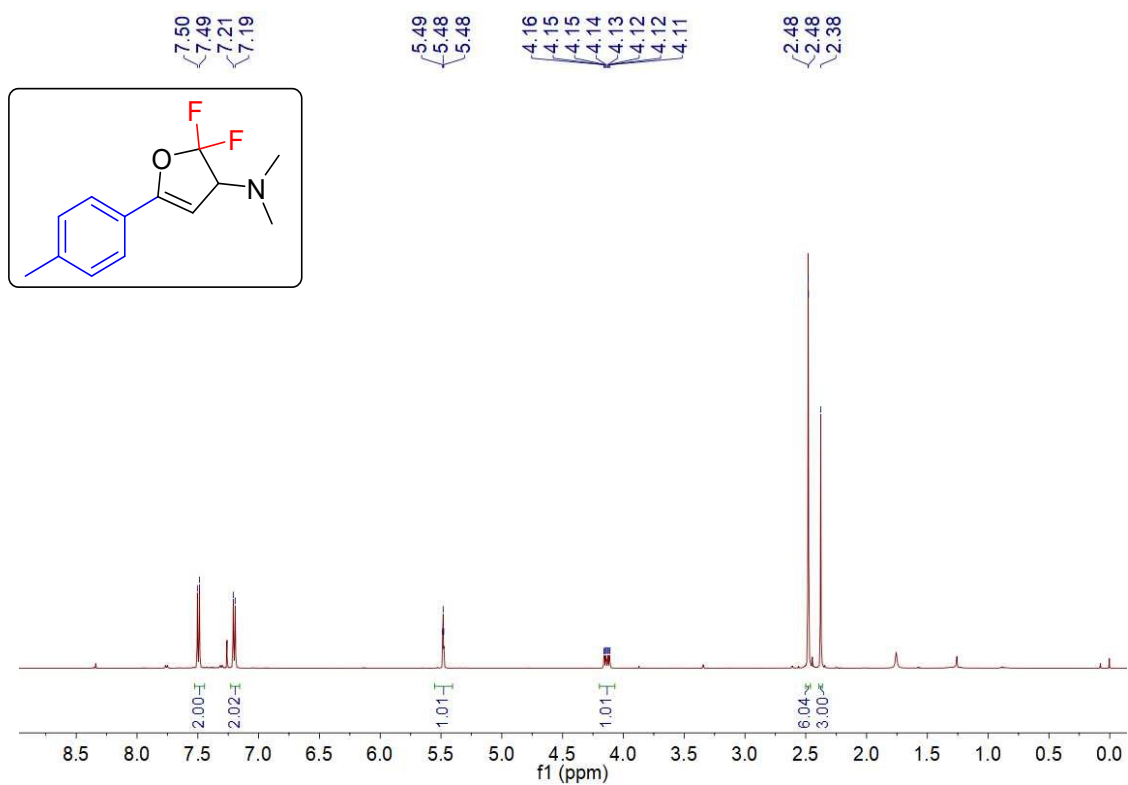
¹³C spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(m-tolyl)-2,3-dihydrofuran-3-amine (4c)



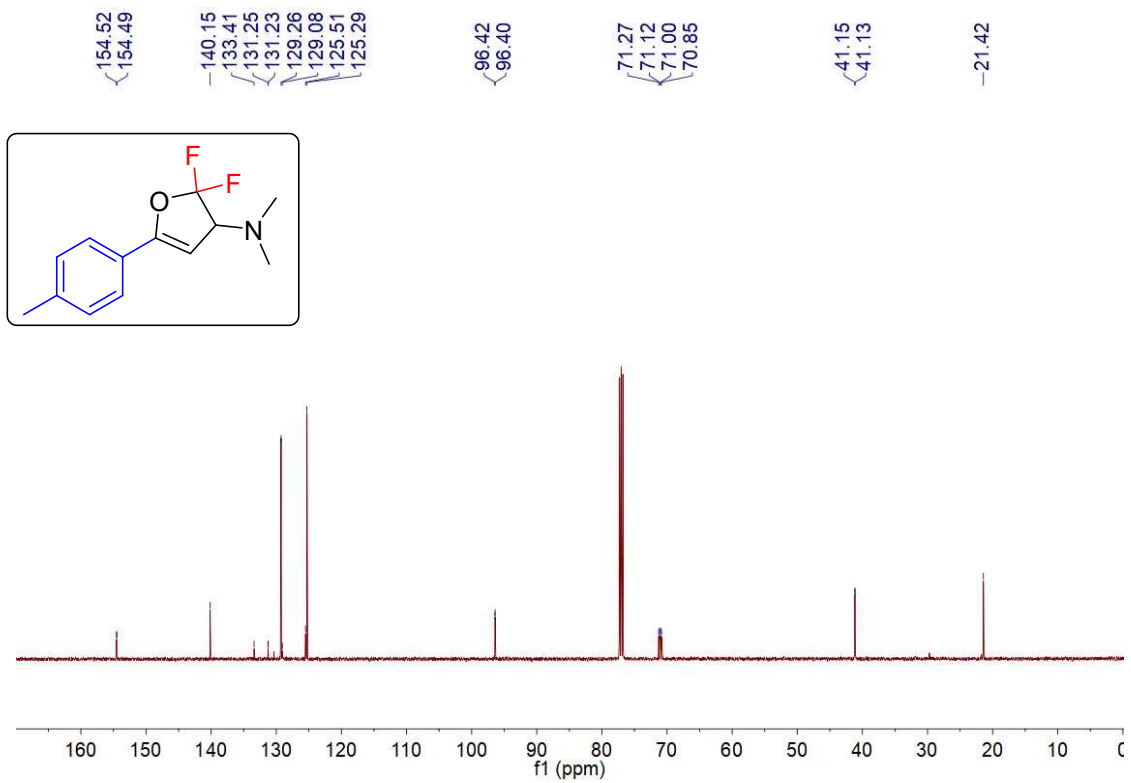
^{19}F spectrum (CDCl_3) 2,2-difluoro-N, N-dimethyl-5-(m-tolyl)-2,3-dihydrofuran-3-amine (4c)



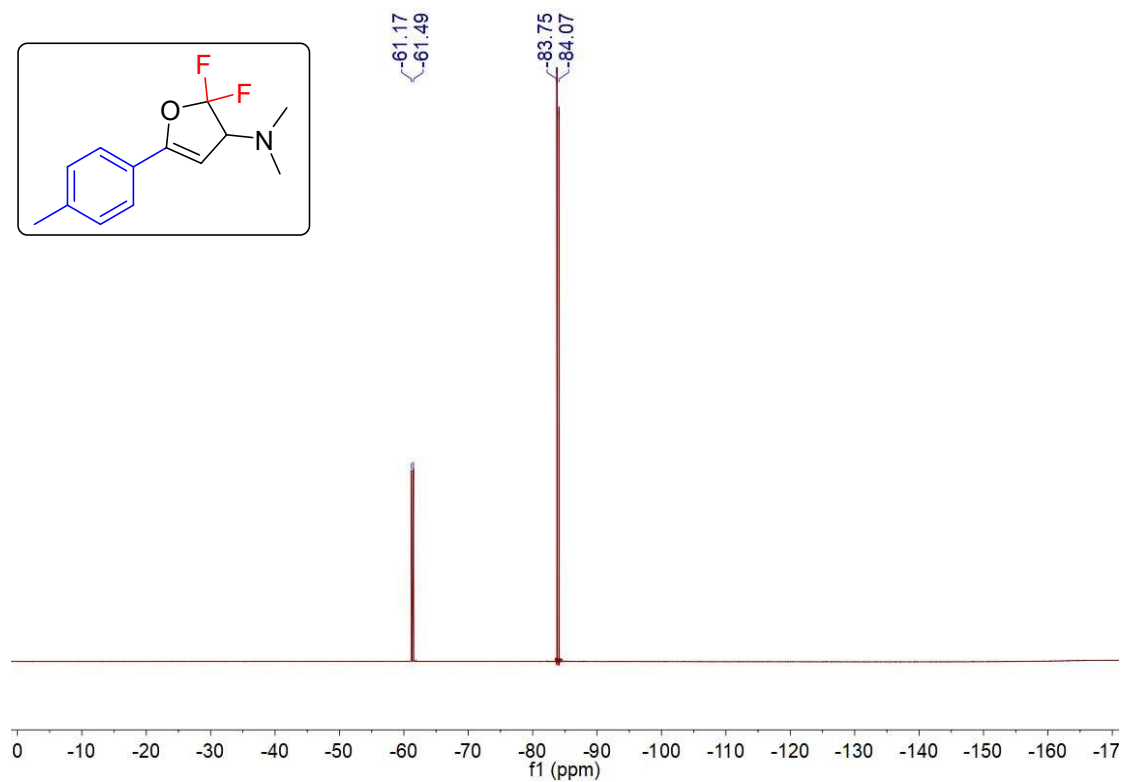
^1H spectrum (CDCl_3) 2,2-difluoro-N, N-dimethyl-5-(p-tolyl)-2,3-dihydrofuran-3-amine (4d)



^{13}C spectrum (CDCl_3) 2,2-difluoro-N, N-dimethyl-5-(p-tolyl)-2,3-dihydrofuran-3-amine (4d)

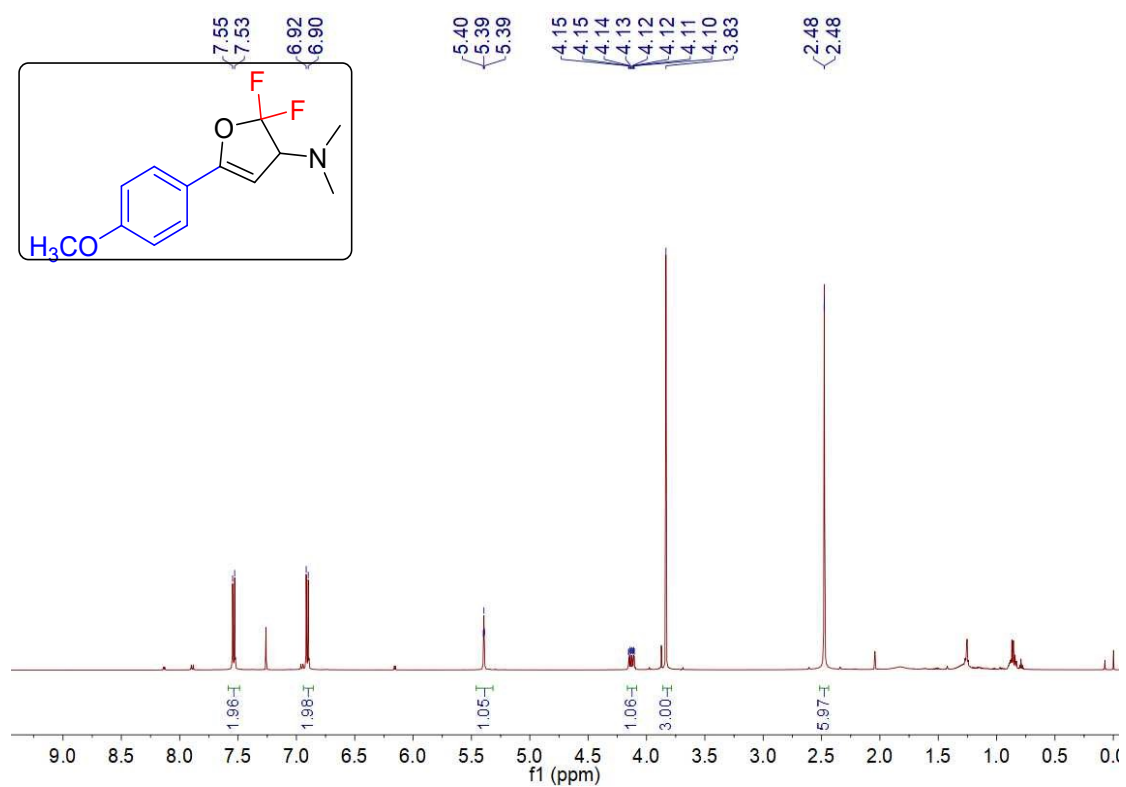


¹⁹F spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(p-tolyl)-2,3-dihydrofuran-3-amine (4d)

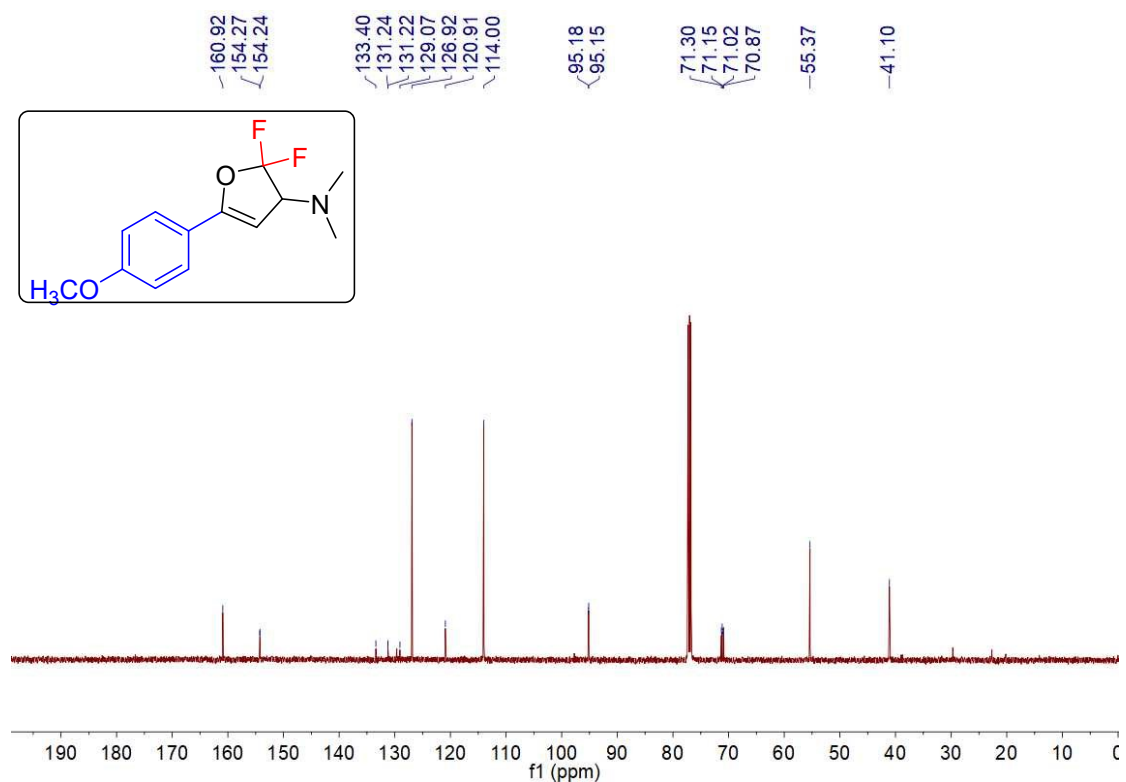


¹H spectrum (CDCl₃) 2,2-difluoro-5-(4-methoxyphenyl)-N, N-dimethyl-2,3-dihydrofuran

-3-amine (4e)

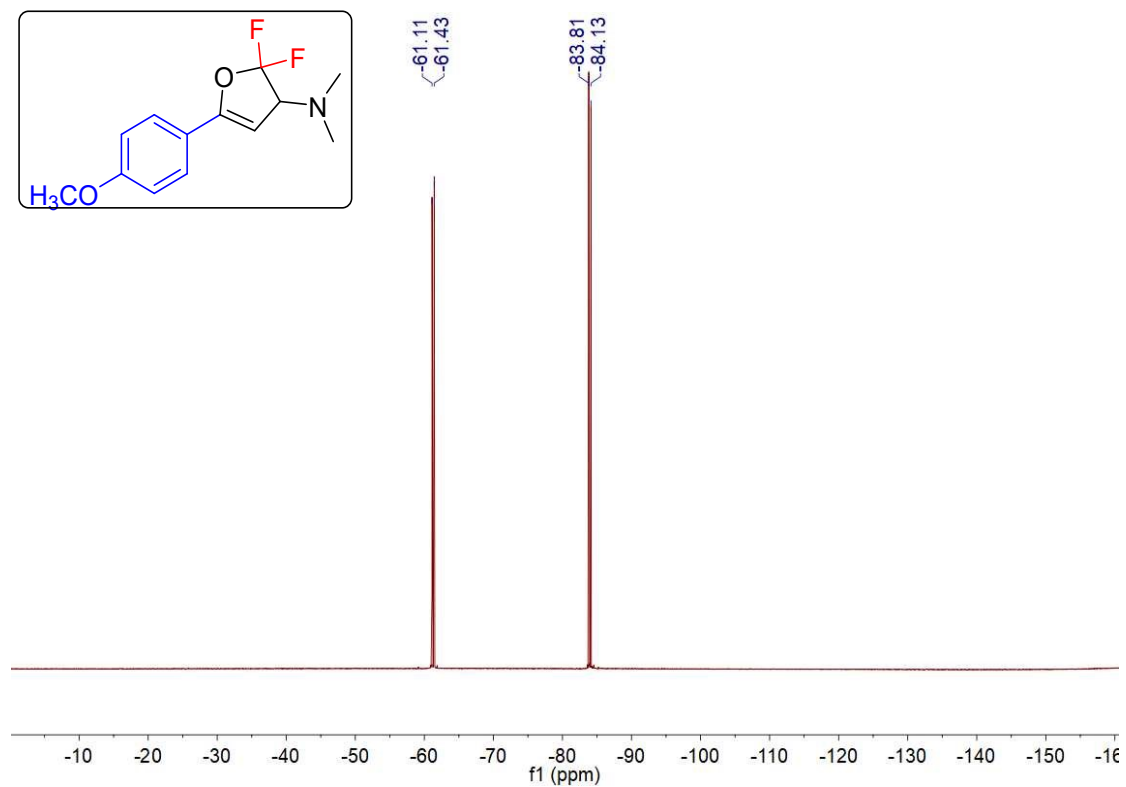


¹³C spectrum (CDCl₃) 2,2-difluoro-5-(4-methoxyphenyl)-N,N-dimethyl-2,3-dihydrofuran-3-amine (4e)

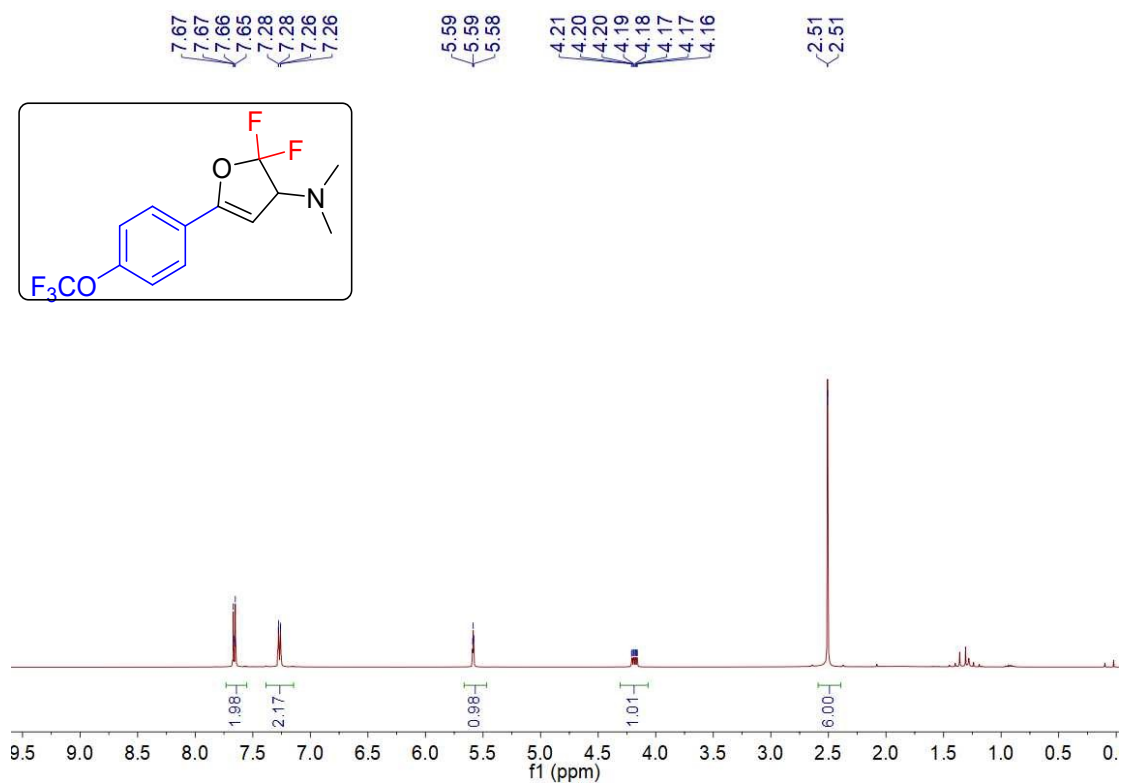


¹⁹F spectrum (CDCl₃) 2,2-difluoro-5-(4-methoxyphenyl)-N,N-dimethyl-2,3-dihydrofuran-3-amine (4e)

-3-amine (4e)

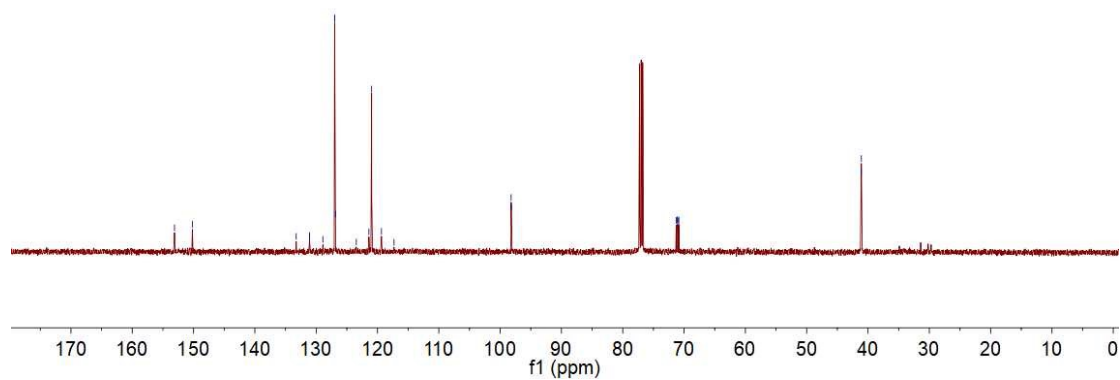
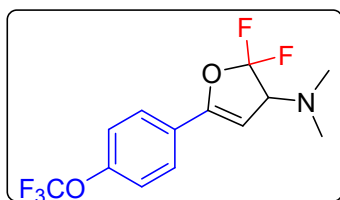


¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-(trifluoromethoxy)phenyl)-2,3-dihydrofuran-3-amine (4f)



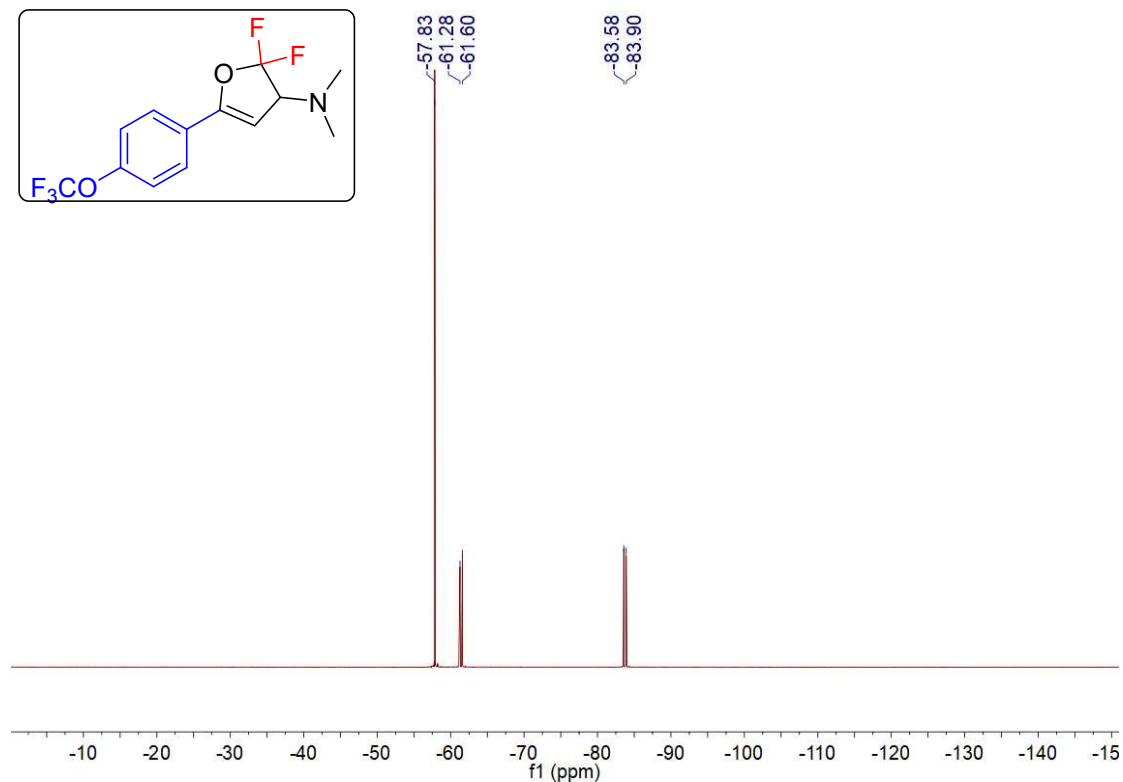
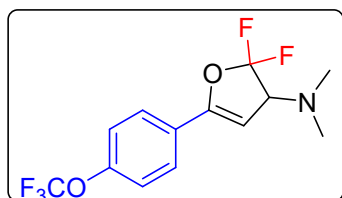
¹³C spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-(trifluoromethoxy)phenyl)-2,3-dihydrofuran-3-amine (4f)

-2,3-dihydrofuran-3-amine (4f)



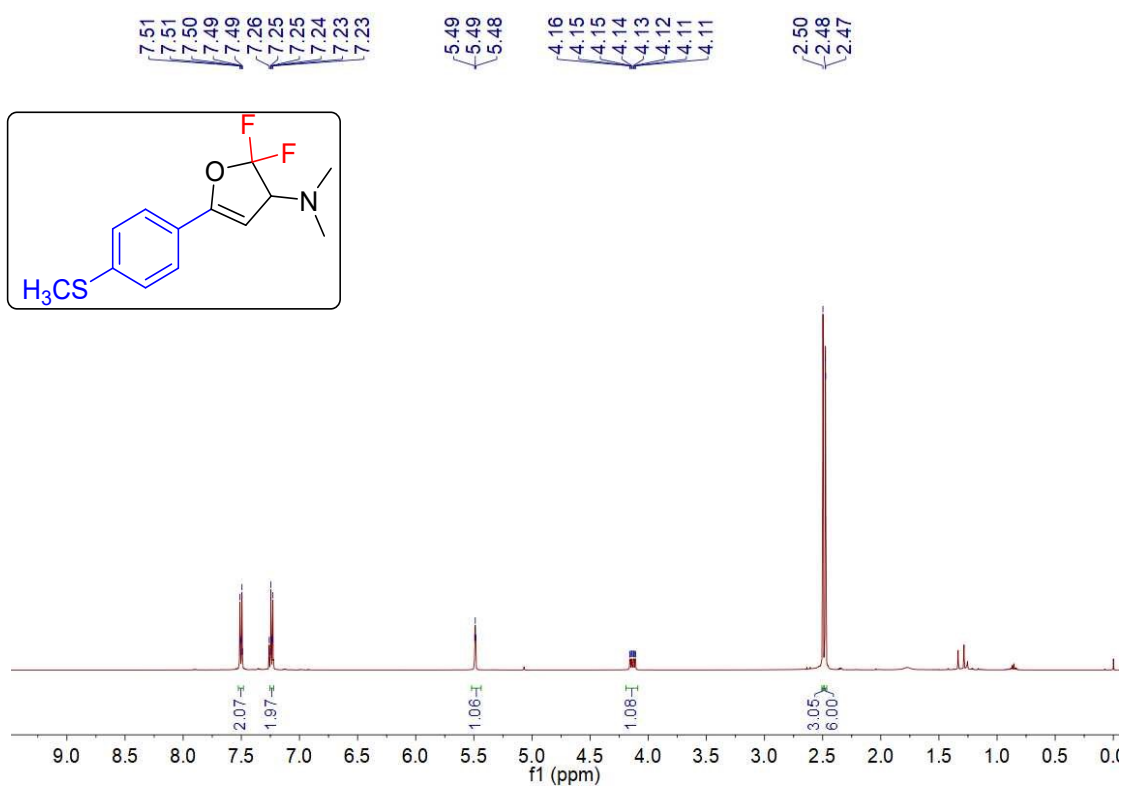
^{19}F spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(trifluoromethoxy)phenyl)

-2,3-dihydrofuran-3-amine (4f)



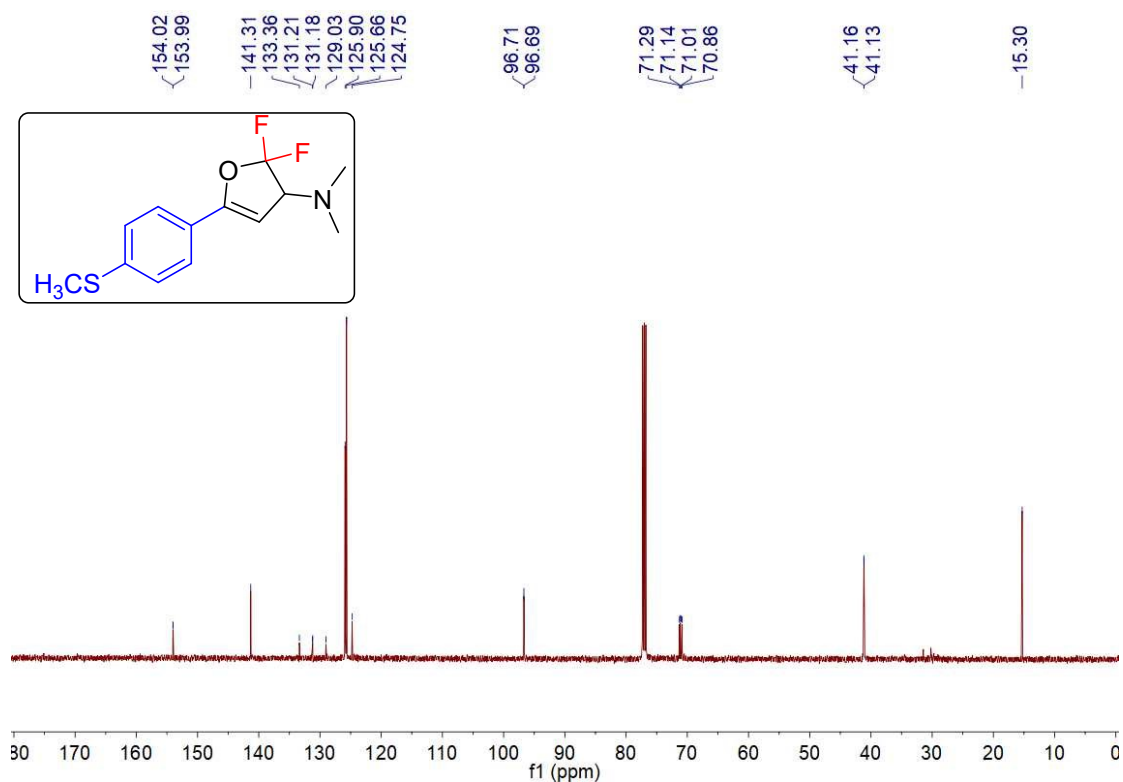
^1H spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(methylthio)phenyl)

-2,3-dihydrofuran-3-amine (4g)



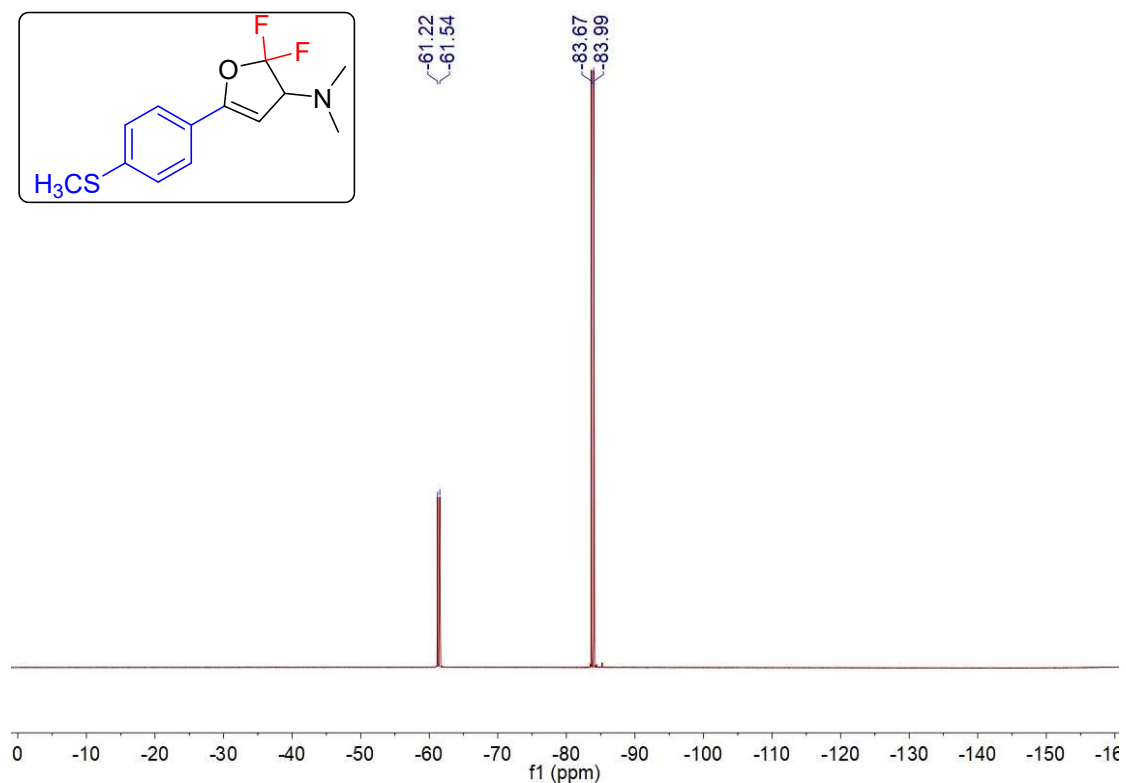
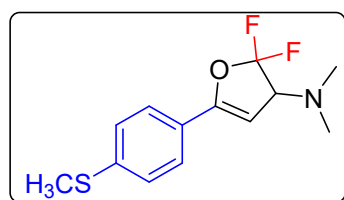
¹³C spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(methylthio)phenyl)

-2,3-dihydrofuran-3-amine (4g)

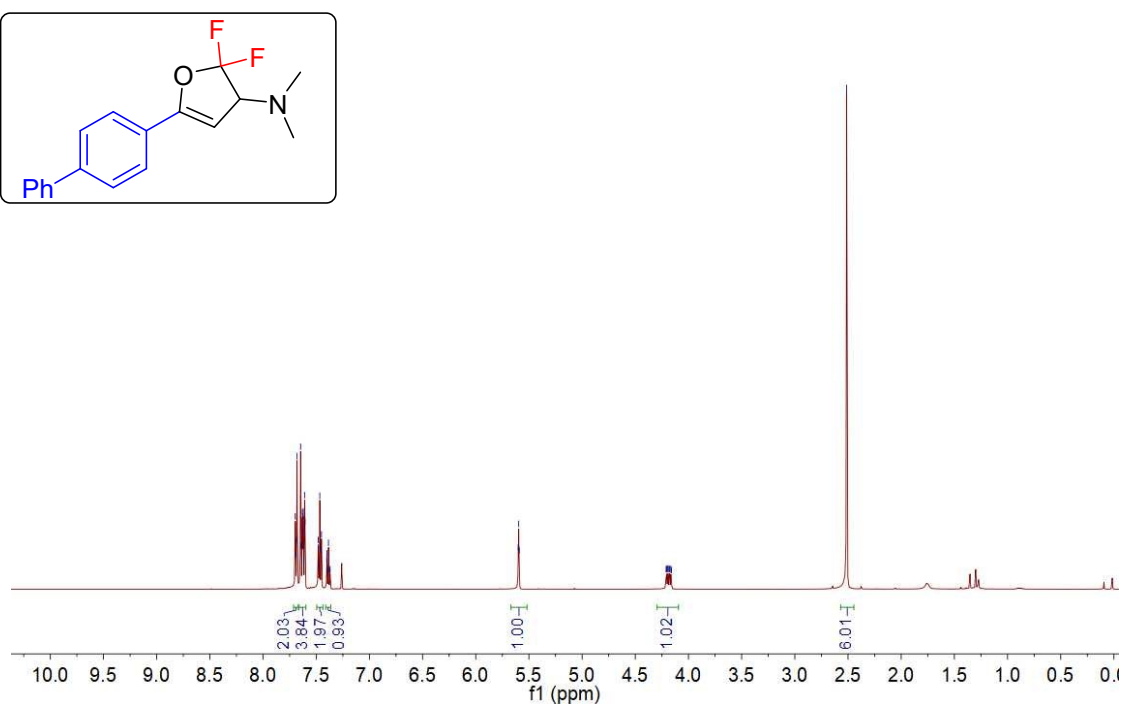


¹⁹F spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(methylthio)phenyl)

-2,3-dihydrofuran-3-amine (4g)

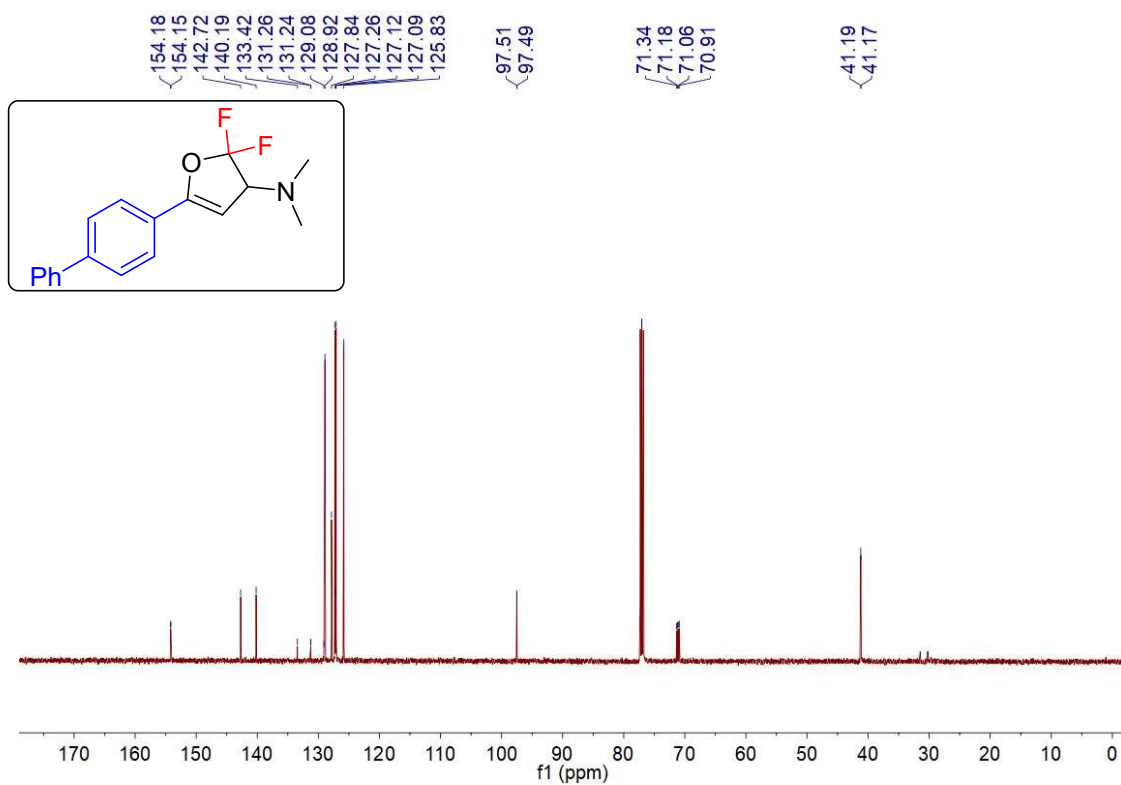


¹H spectrum (CDCl₃) 5-([1,1'-biphenyl]-4-yl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran-3-amine (4h)

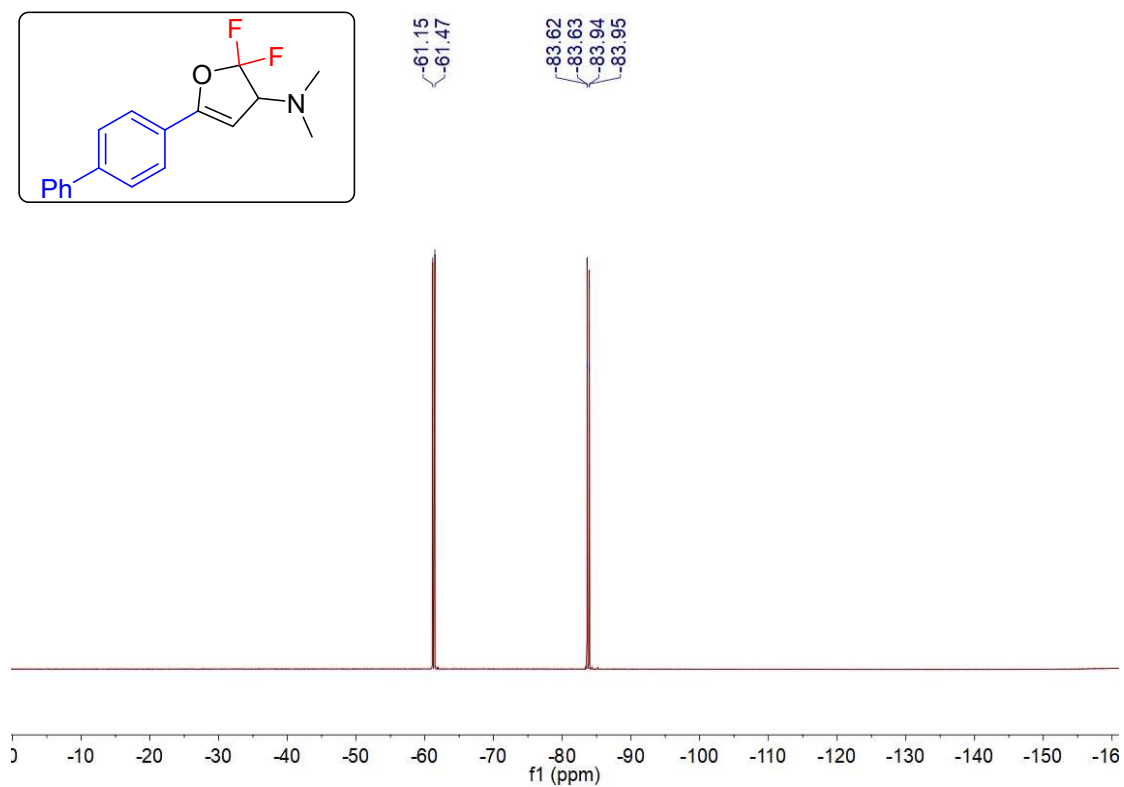


¹³C spectrum (CDCl₃) 5-([1,1'-biphenyl]-4-yl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran-3-amine (4h)

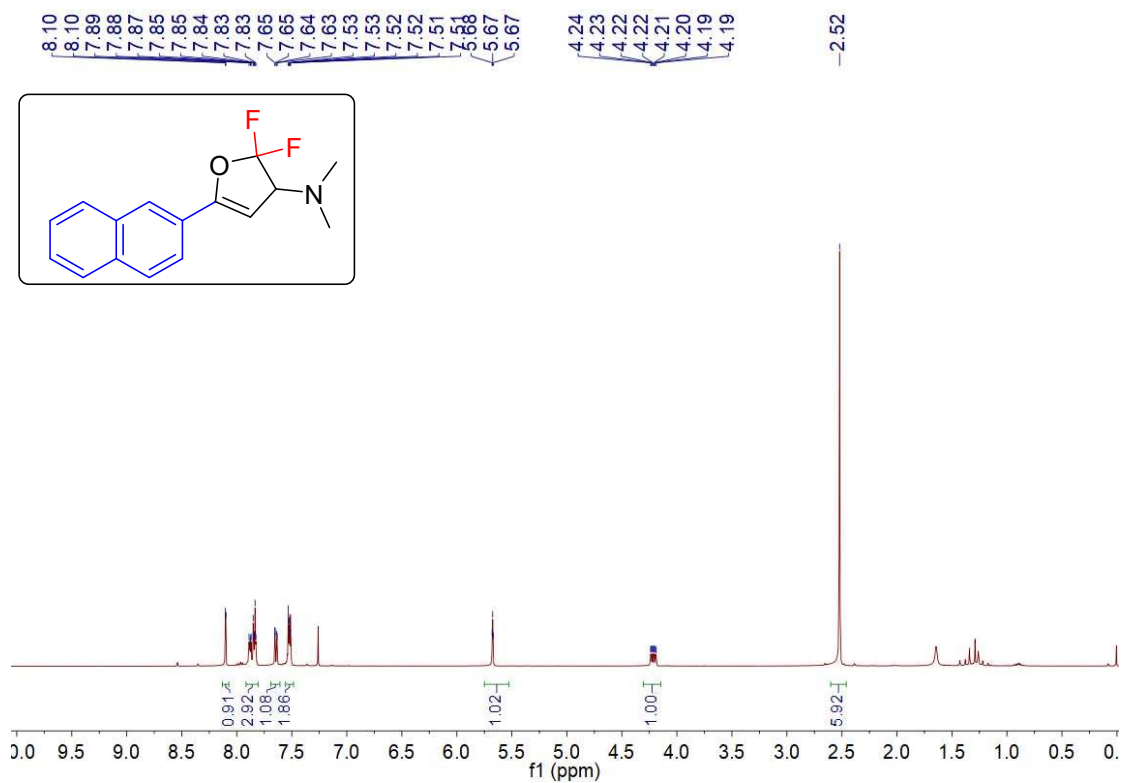
n-3-amine (4h)



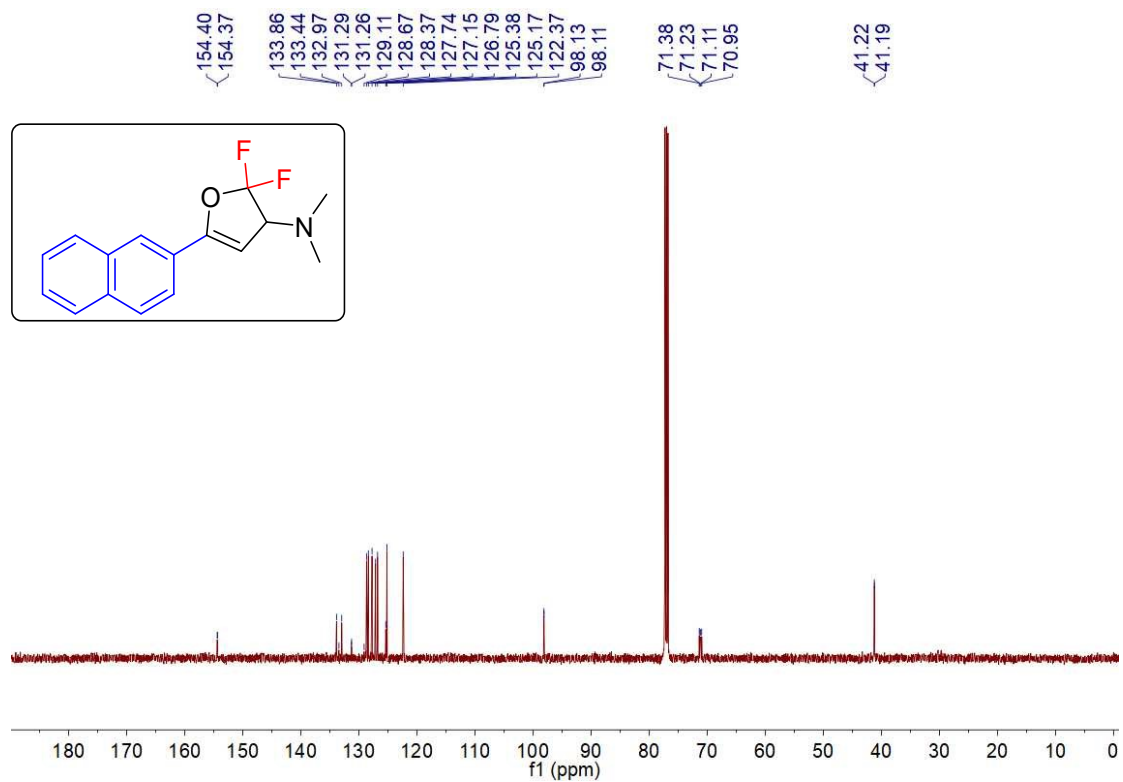
**¹⁹F spectrum (CDCl₃)5-([1,1'-biphenyl]-4-yl)-2,2-difluoro-N, N-dimethyl-2,3-dihydrofura
n-3-amine (4h)**



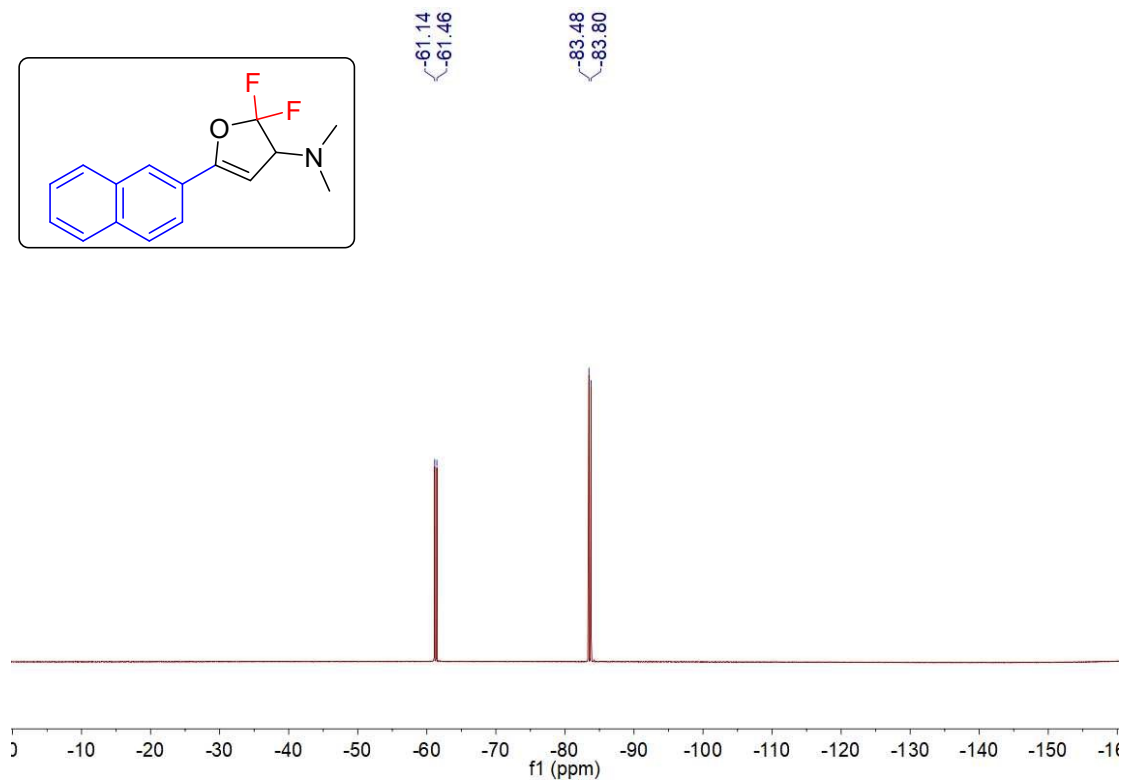
¹H spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (4i)



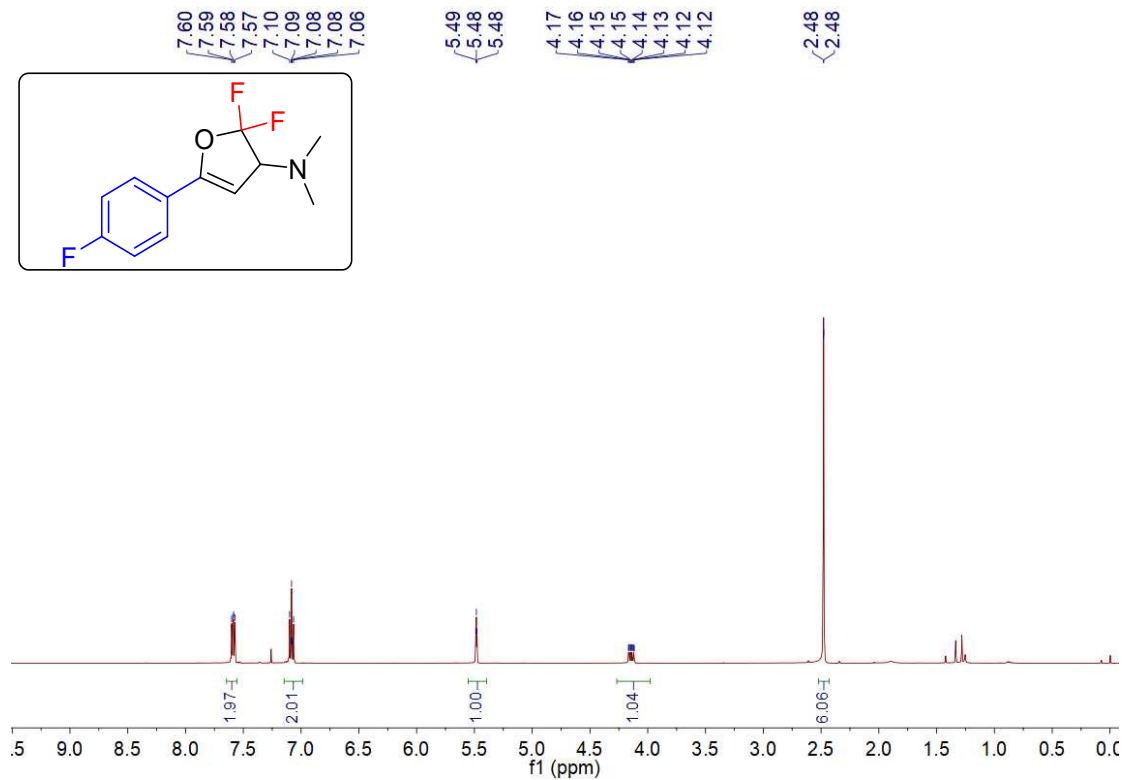
¹³C spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (4i)



^{19}F spectrum (CDCl_3) 2,2-difluoro-N, N-dimethyl-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (4i)

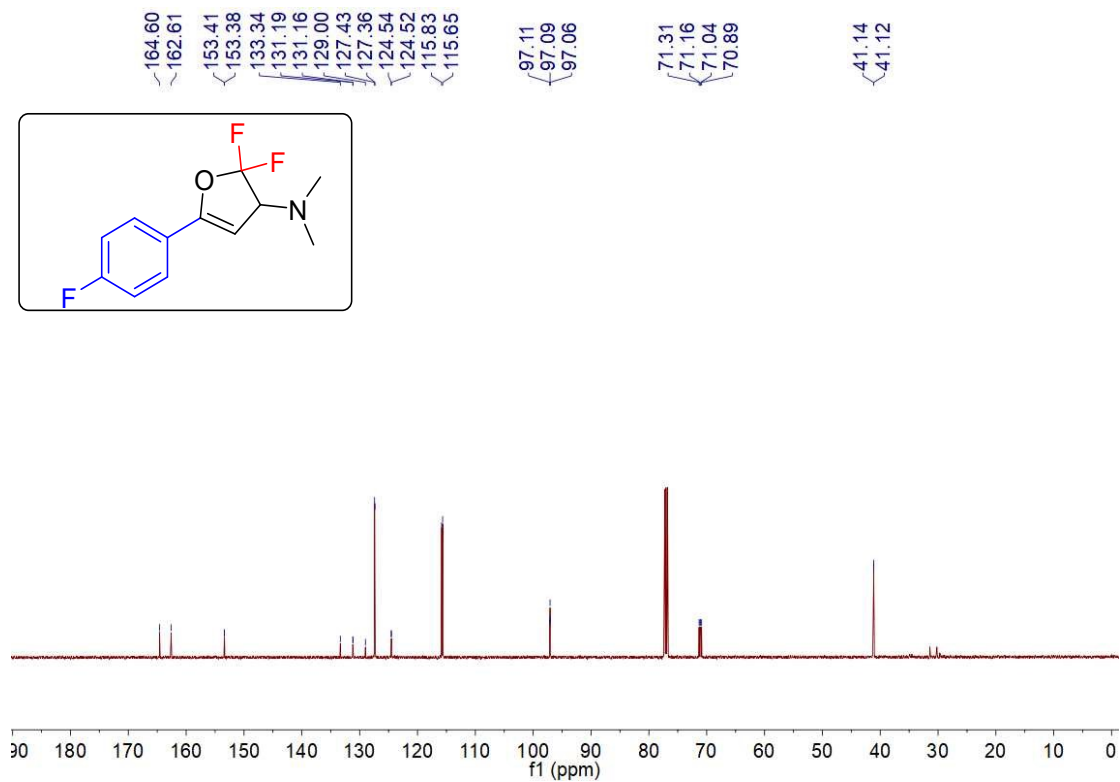


^1H spectrum (CDCl_3) 2,2-difluoro-5-(4-fluorophenyl)-N, N-dimethyl-2,3-dihydrofuran-3-amine (4j)



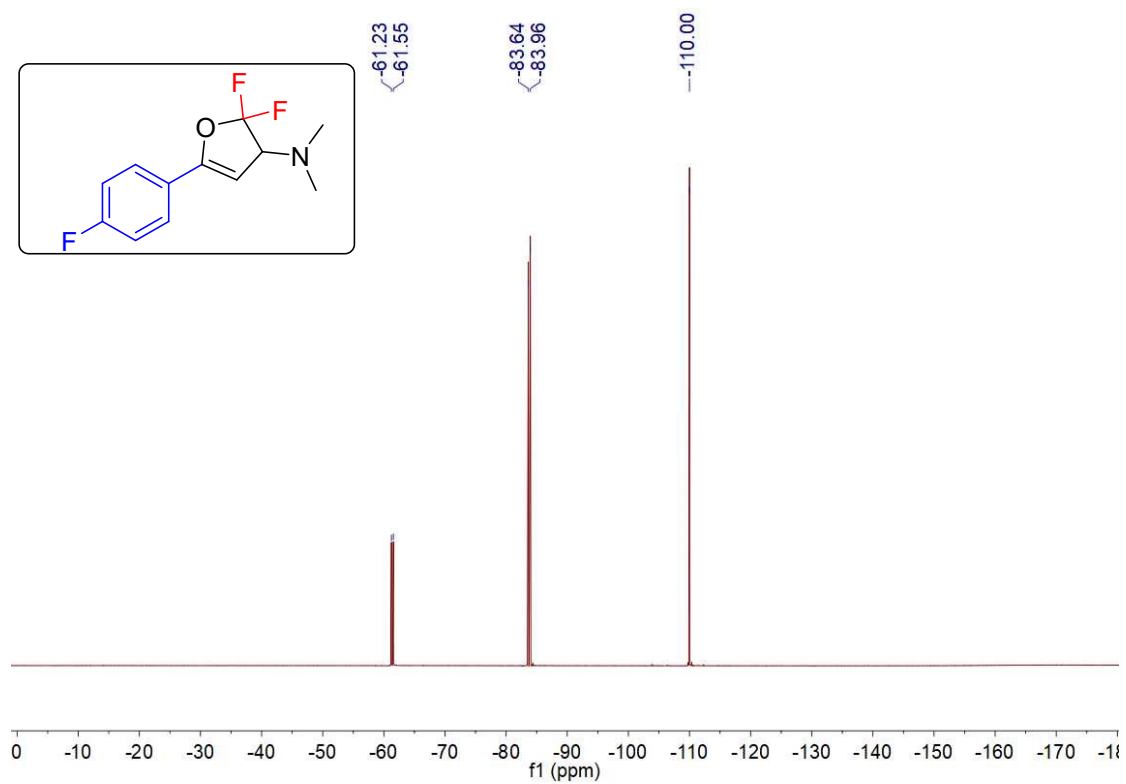
^{13}C spectrum (CDCl_3) 2,2-difluoro-5-(4-fluorophenyl)-N, N-dimethyl-2,3-dihydrofuran-3-amine

(4j)



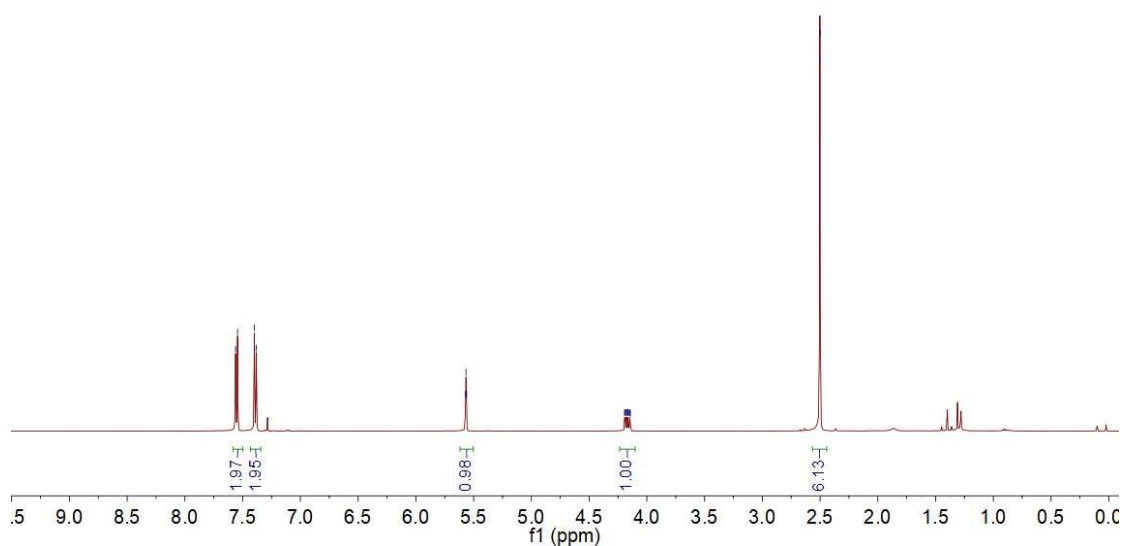
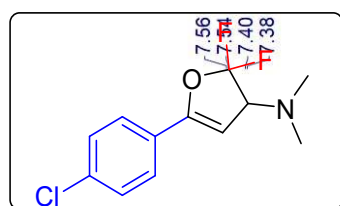
¹⁹F spectrum (CDCl₃) 2,2-difluoro-5-(4-fluorophenyl)-N,N-dimethyl-2,3-dihydrofuran-3-amine

(4j)



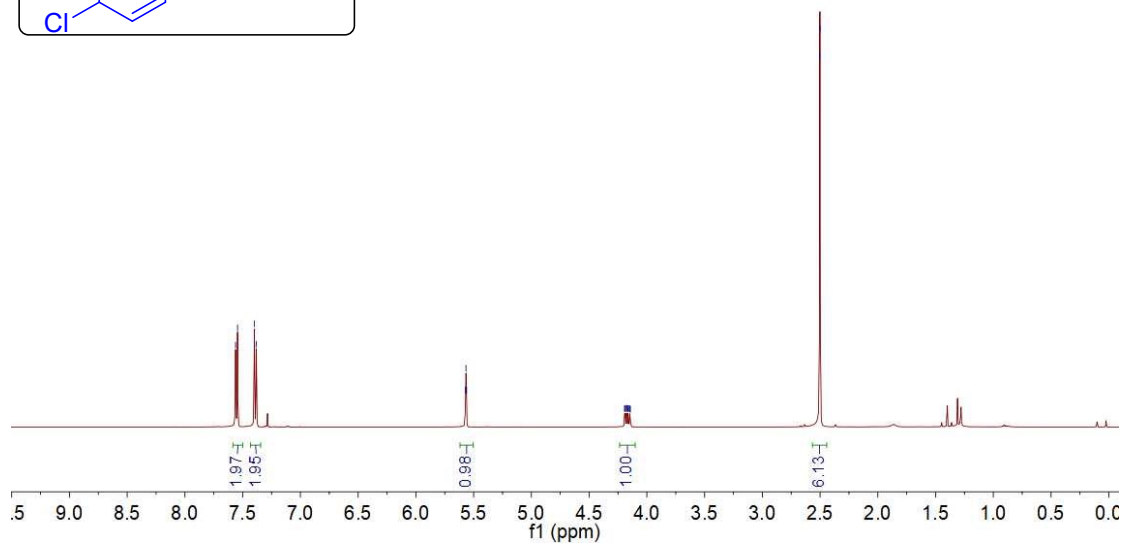
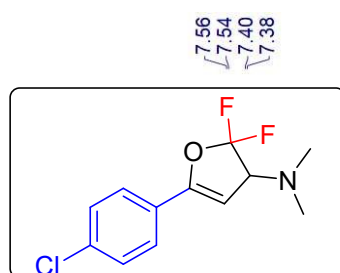
¹H spectrum (CDCl₃) 5-(4-fluorophenyl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran

n-3-amine(4k)



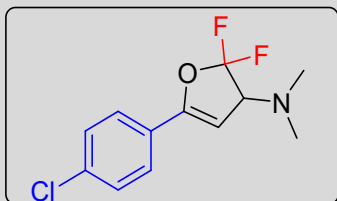
^{13}C spectrum (CDCl₃) 5-(4-chlorophenyl)-2,2-difluoro-N, N-dimethyl-2,3-dihydrofura

n-3-amine(4k)

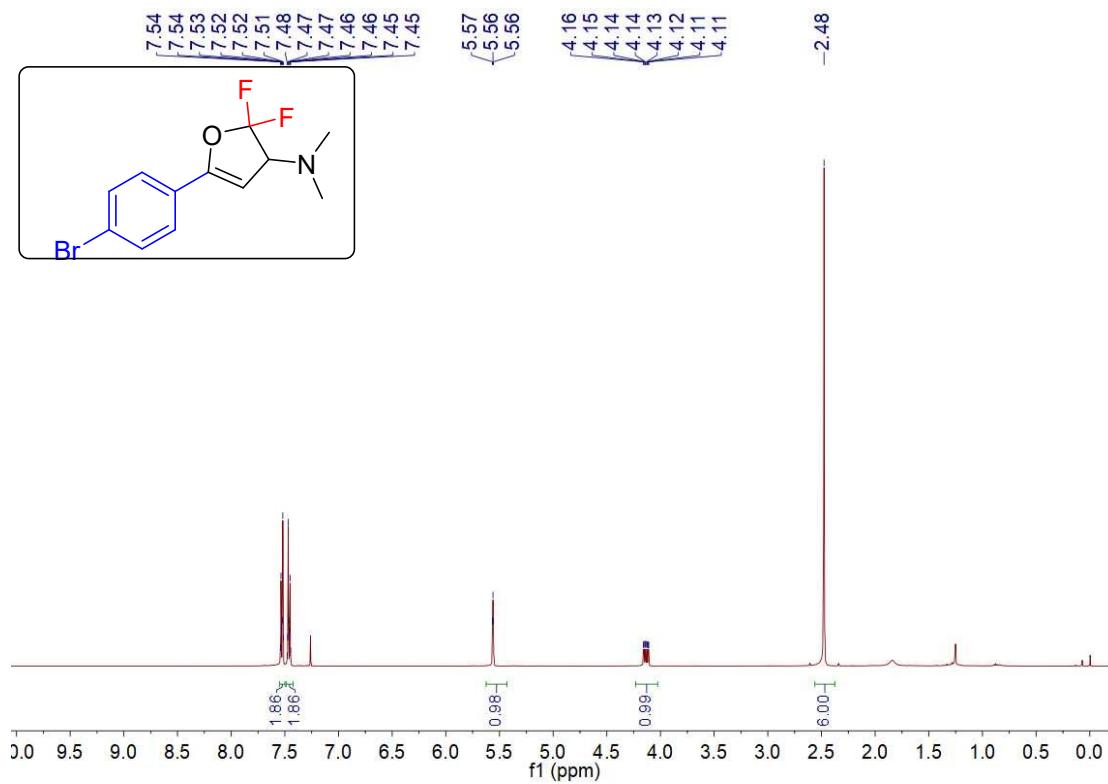


^{19}F spectrum (CDCl₃) 5-(4-chlorophenyl)-2,2-difluoro-N, N-dimethyl-2,3-dihydrofura

n-3-amine(4k)

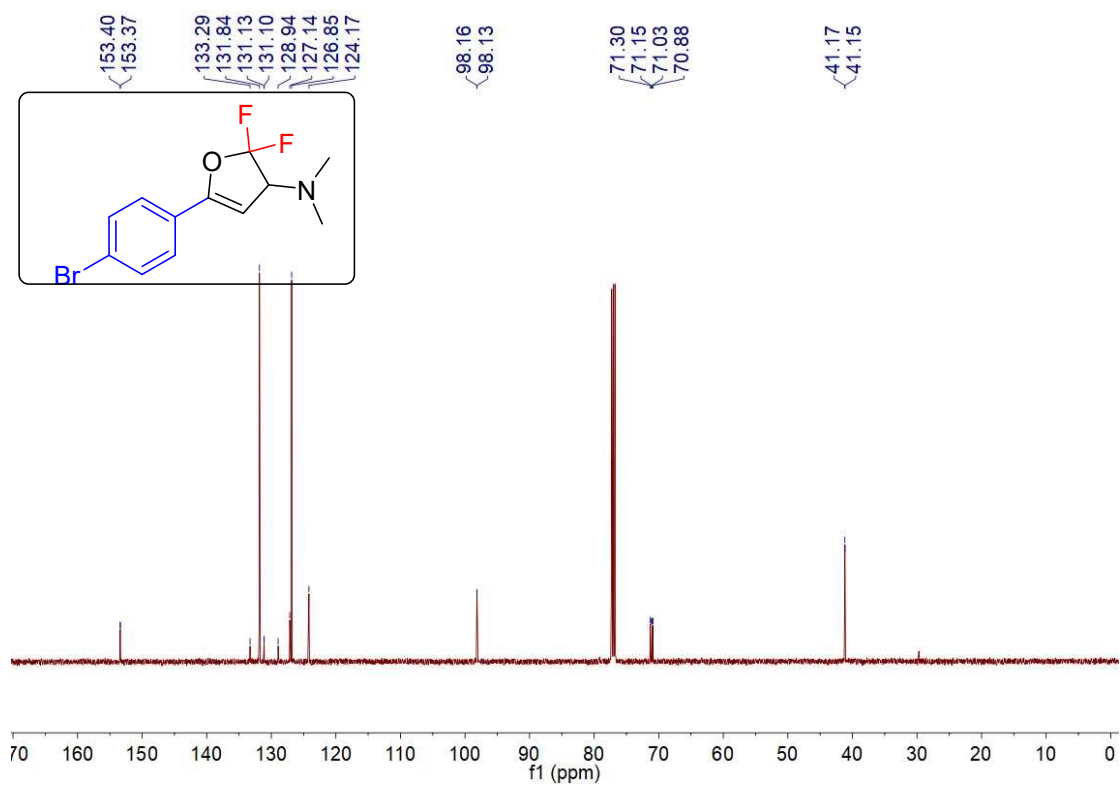


¹H spectrum (CDCl₃) 5-(4-bromophenyl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran n-3-amine(4l)

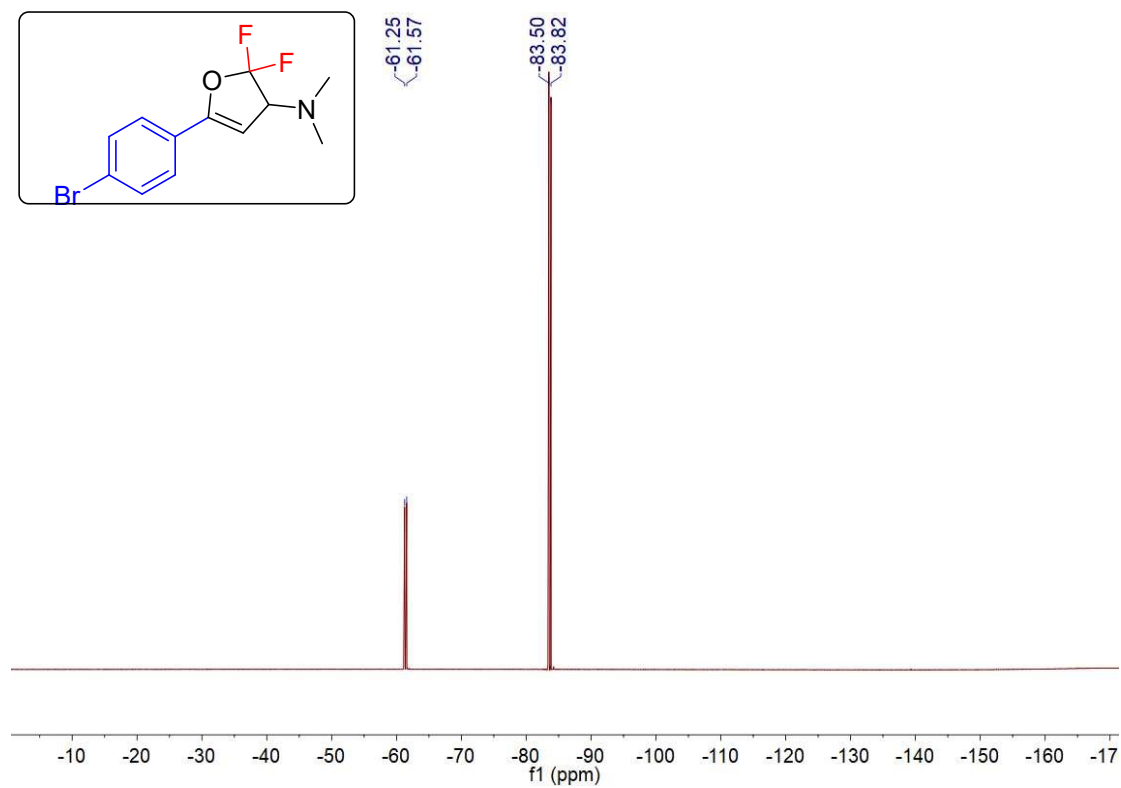


¹³C spectrum (CDCl₃) 5-(4-bromophenyl)-2,2-difluoro-N,N-dimethyl-2,3-dihydrofuran

n-3-amine(4l)

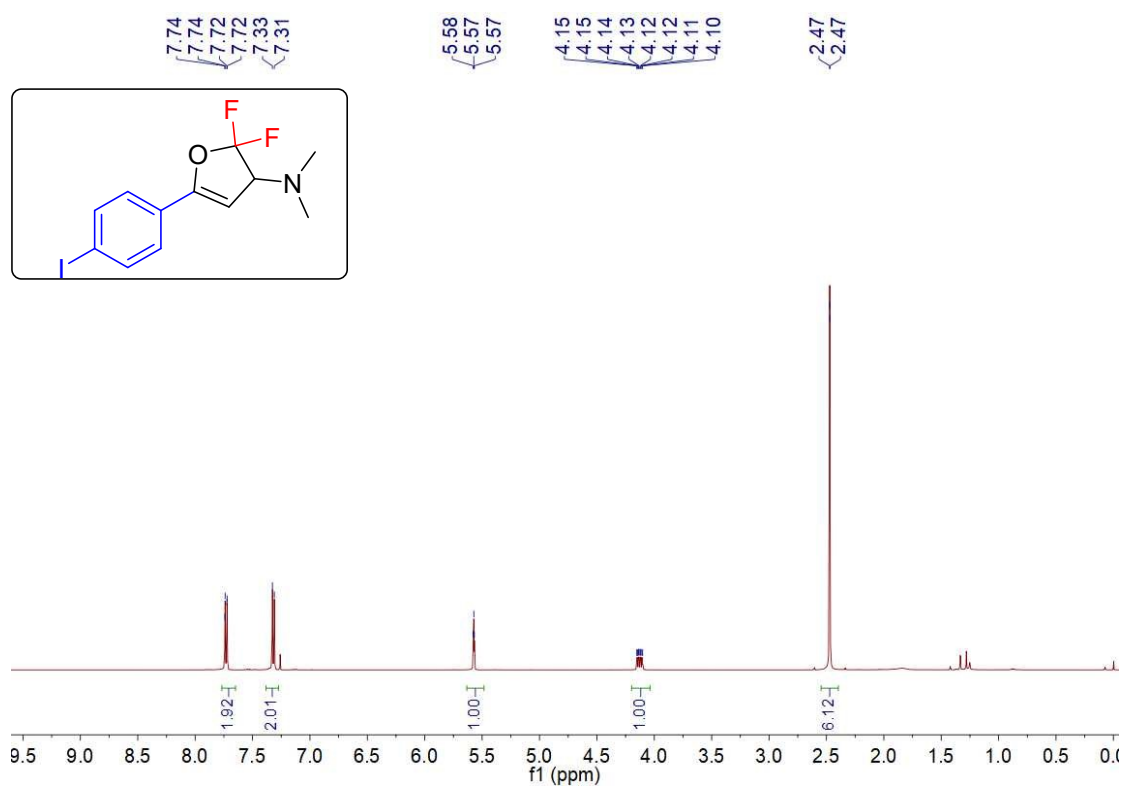


**¹⁹F spectrum (CDCl₃) 5-(4-bromophenyl)-2,2-difluoro-N, N-dimethyl-2,3-dihydrofura
n-3-amine(4l)**



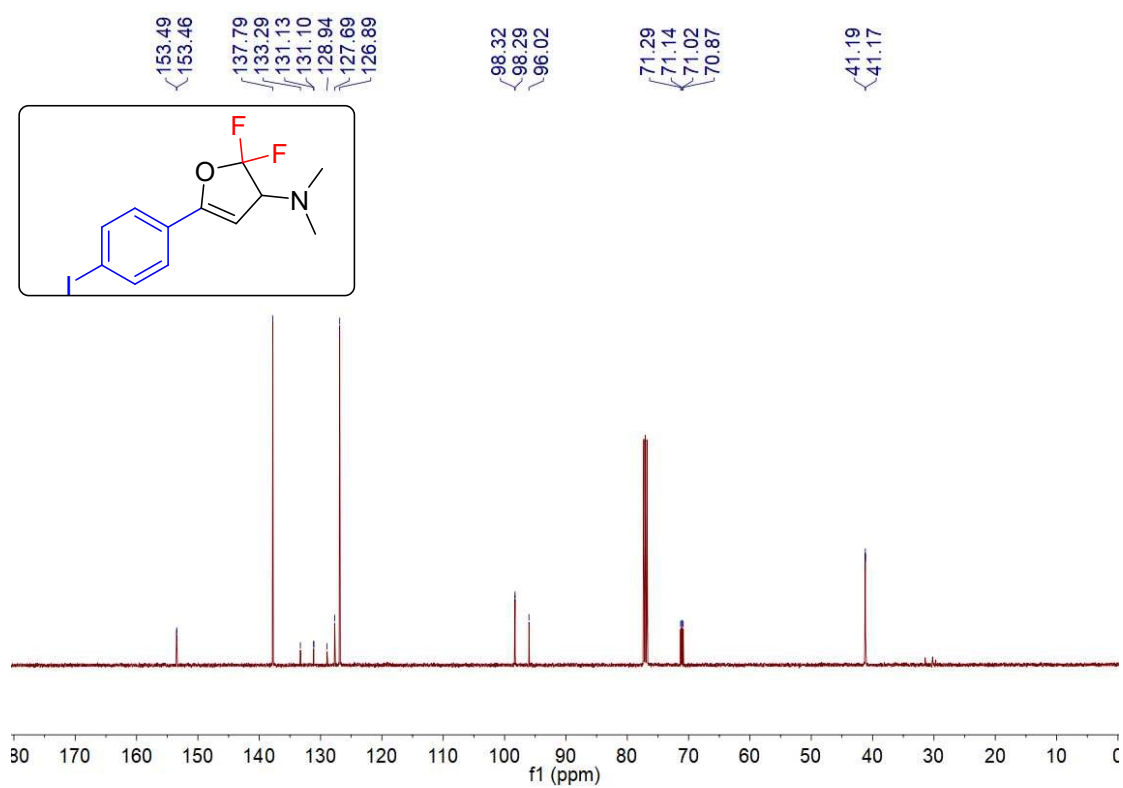
¹H spectrum (CDCl₃) 2,2-difluoro-5-(4-iodophenyl)-N, N-dimethyl-2,3-dihydrofura

n-3-amine(4m)



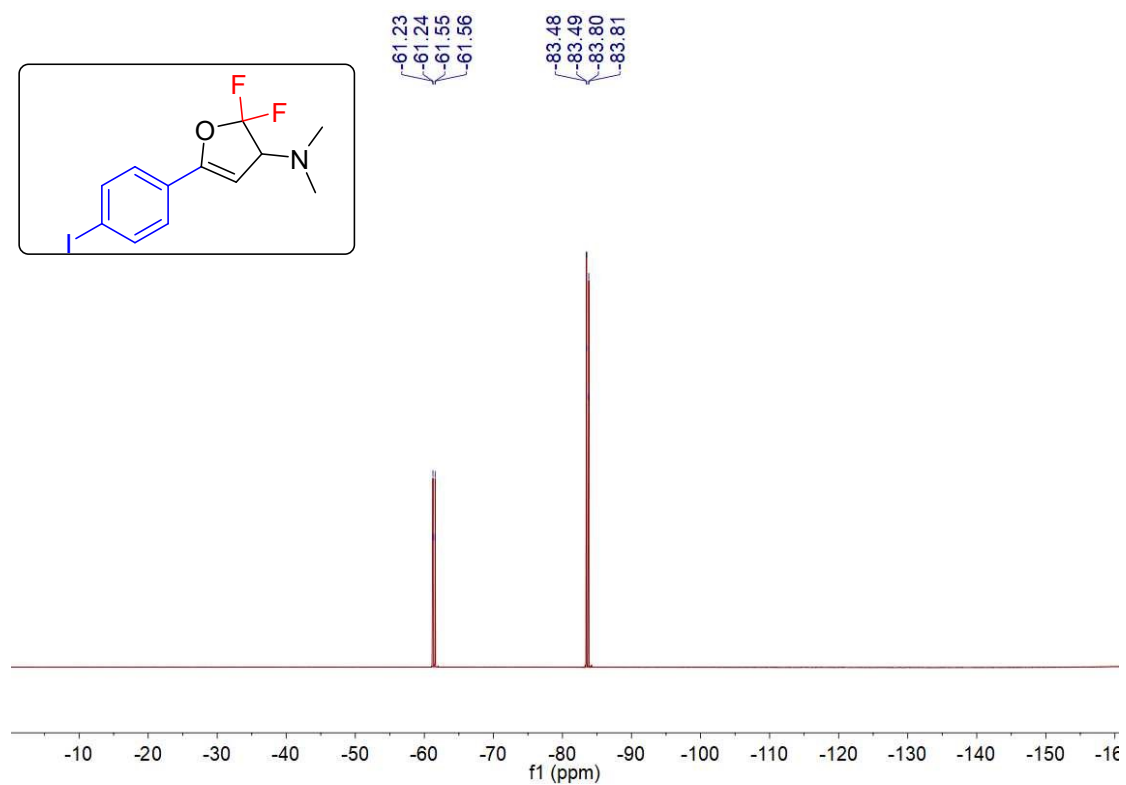
¹³C spectrum (CDCl₃) 2,2-difluoro-5-(4-iodophenyl)-N,N-dimethyl-2,3-dihydrofura

n-3-amine(4m)

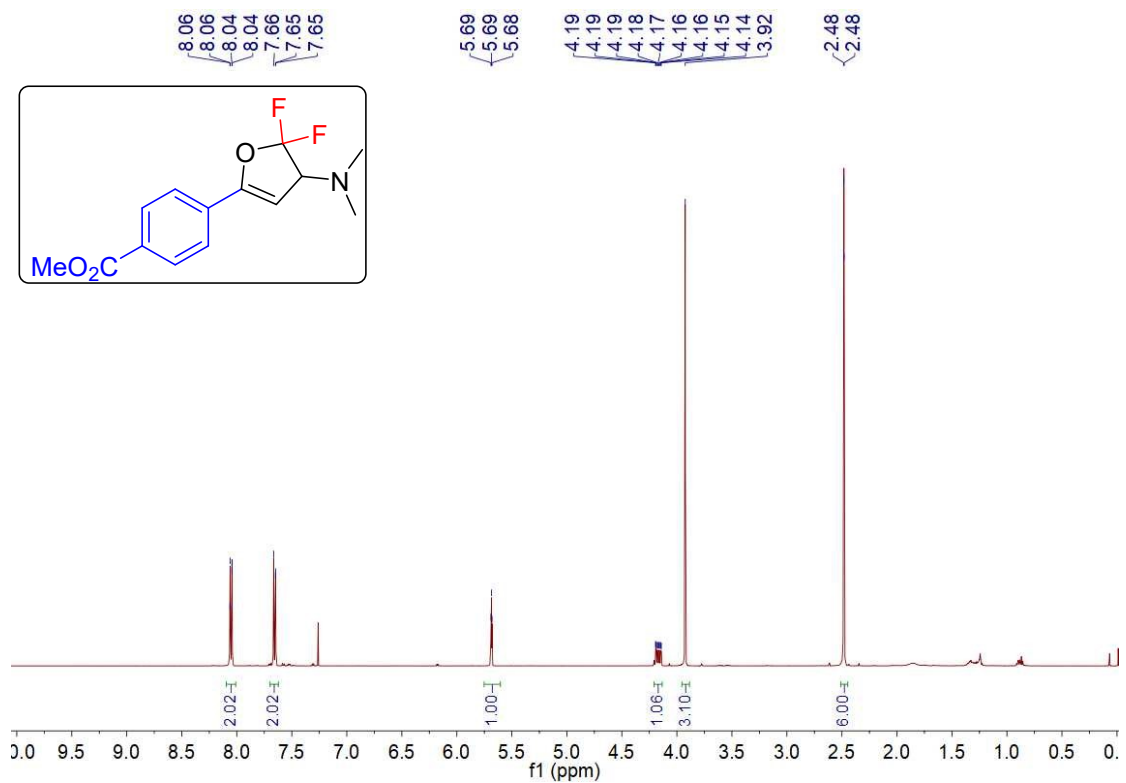


¹⁹F spectrum (CDCl₃) 2,2-difluoro-5-(4-iodophenyl)-N,N-dimethyl-2,3-dihydrofura

n-3-amine(4m)

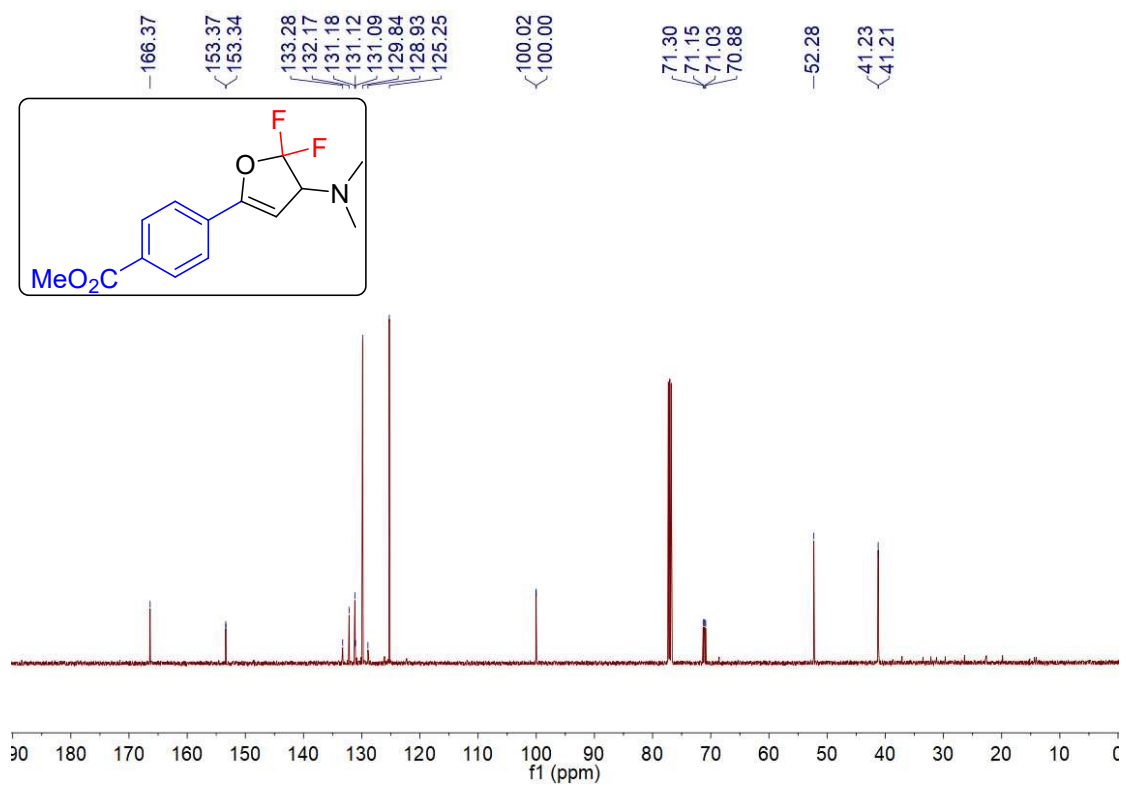


¹H spectrum (CDCl₃) methyl 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzoate (4n)

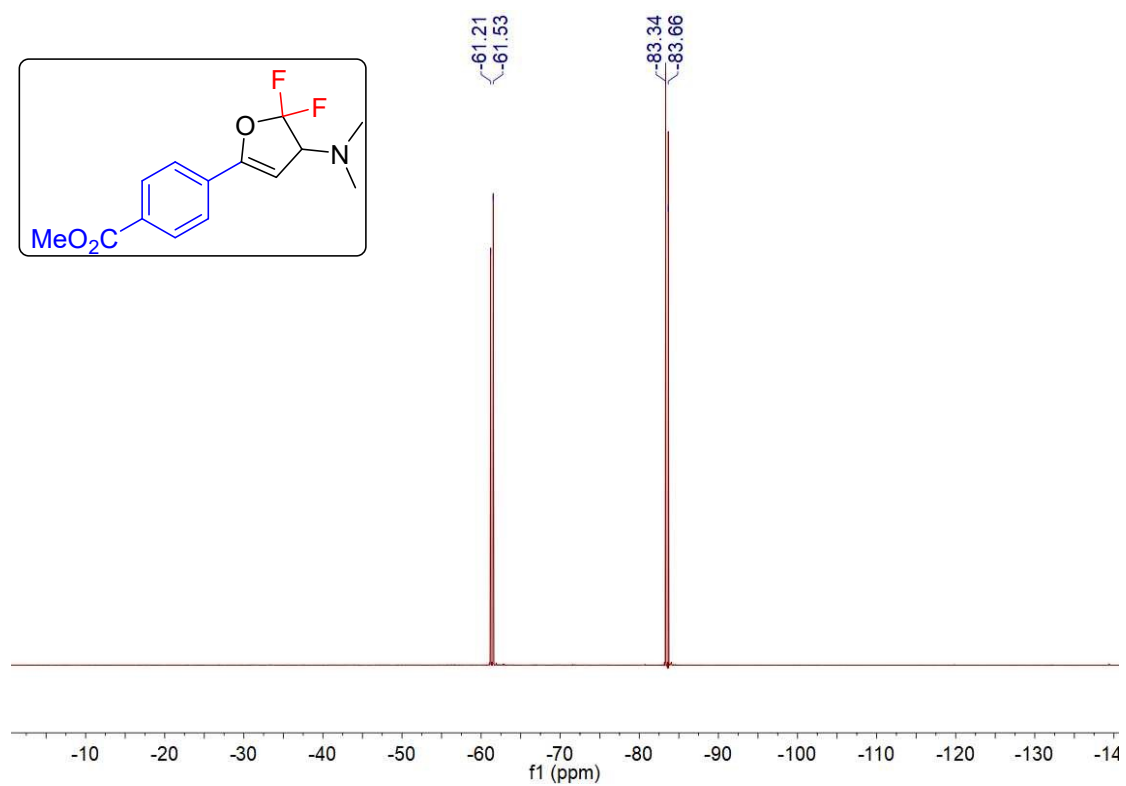


¹³C spectrum (CDCl₃) methyl 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzoate

(4n)

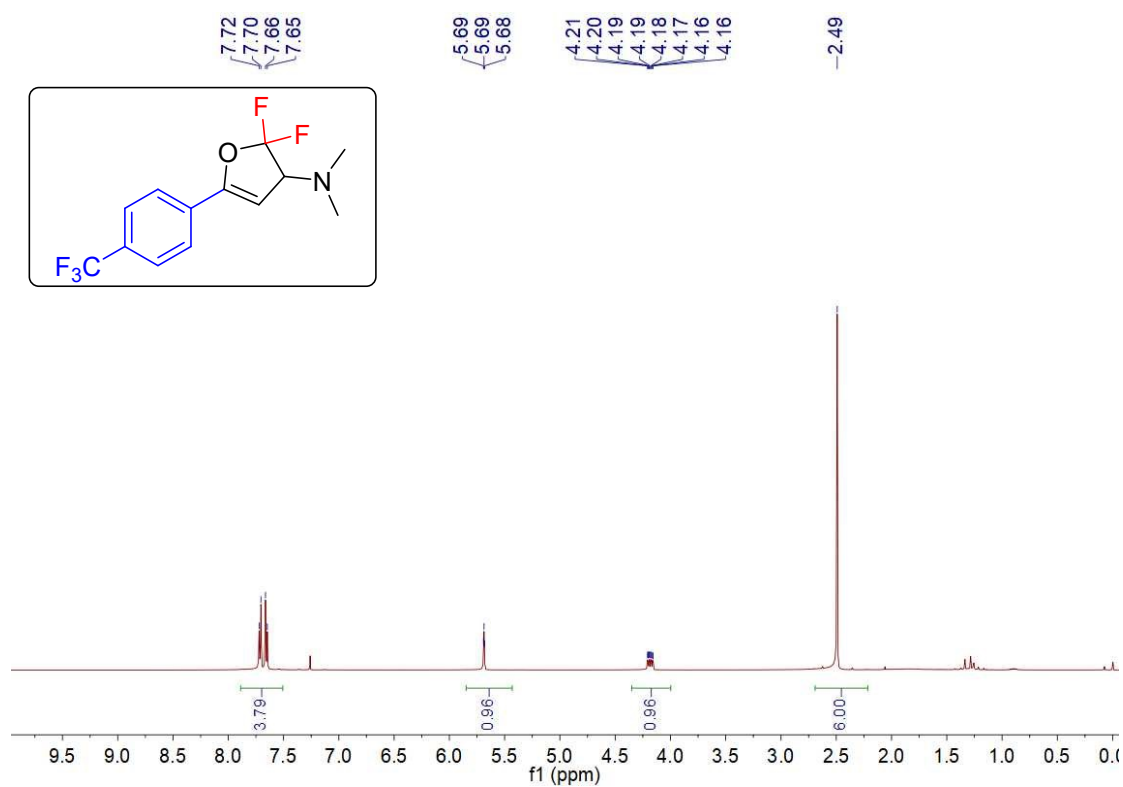


¹⁹F spectrum (CDCl₃) methyl 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzoate (4n)

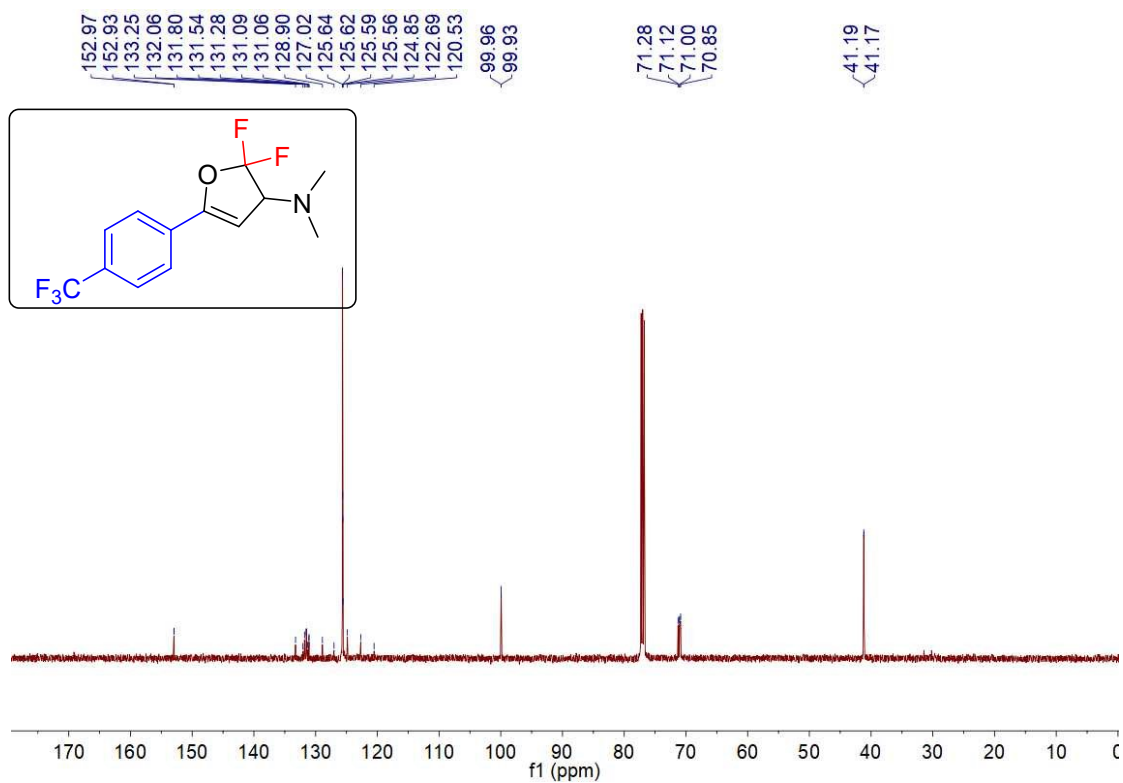


¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-(trifluoromethyl)phenyl)-2,3-dihydrofuran

n-3-amine (4o)



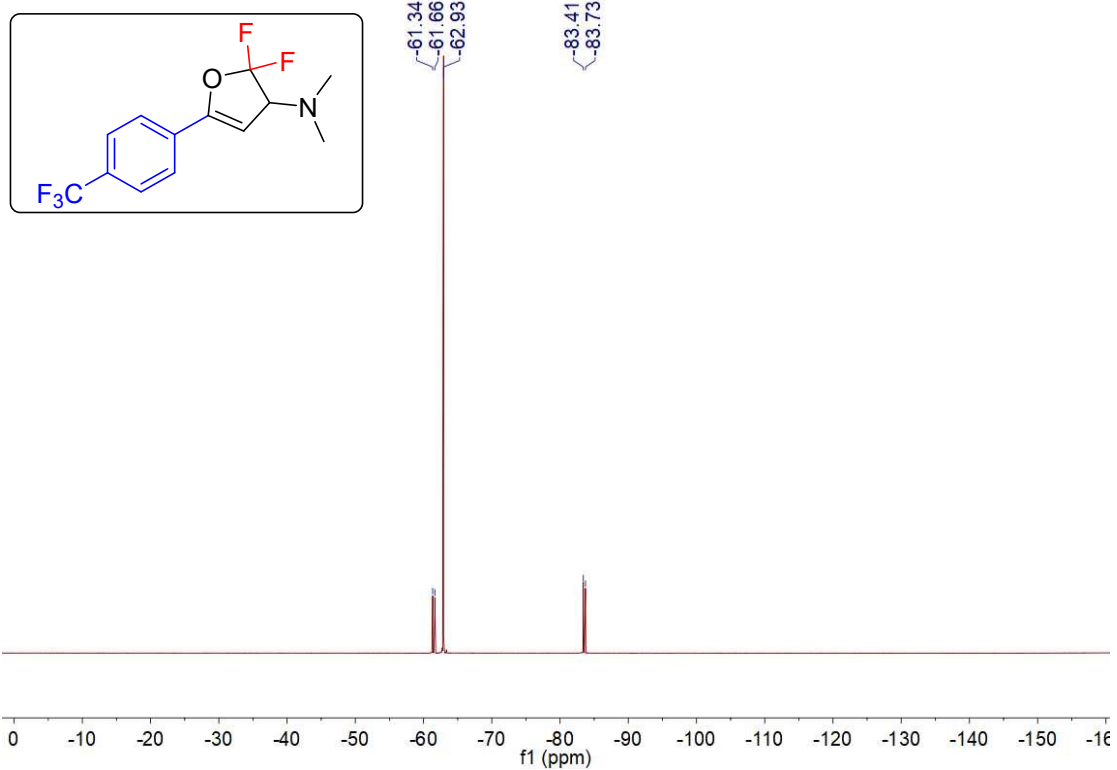
¹³C spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(trifluoromethyl)phenyl)-2,3-dihydrofuran n-3-amine (4o)



¹⁹F spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(trifluoromethyl)phenyl)-2,3-

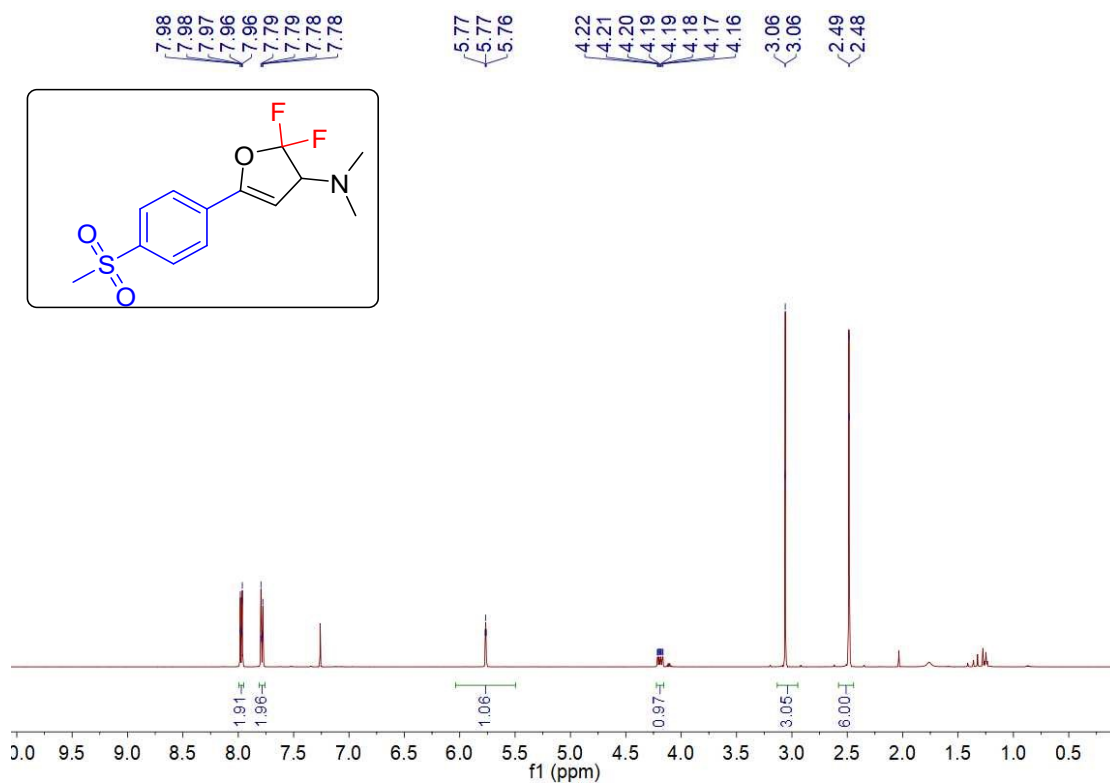
dihydrofuran

-3-amine (4o)

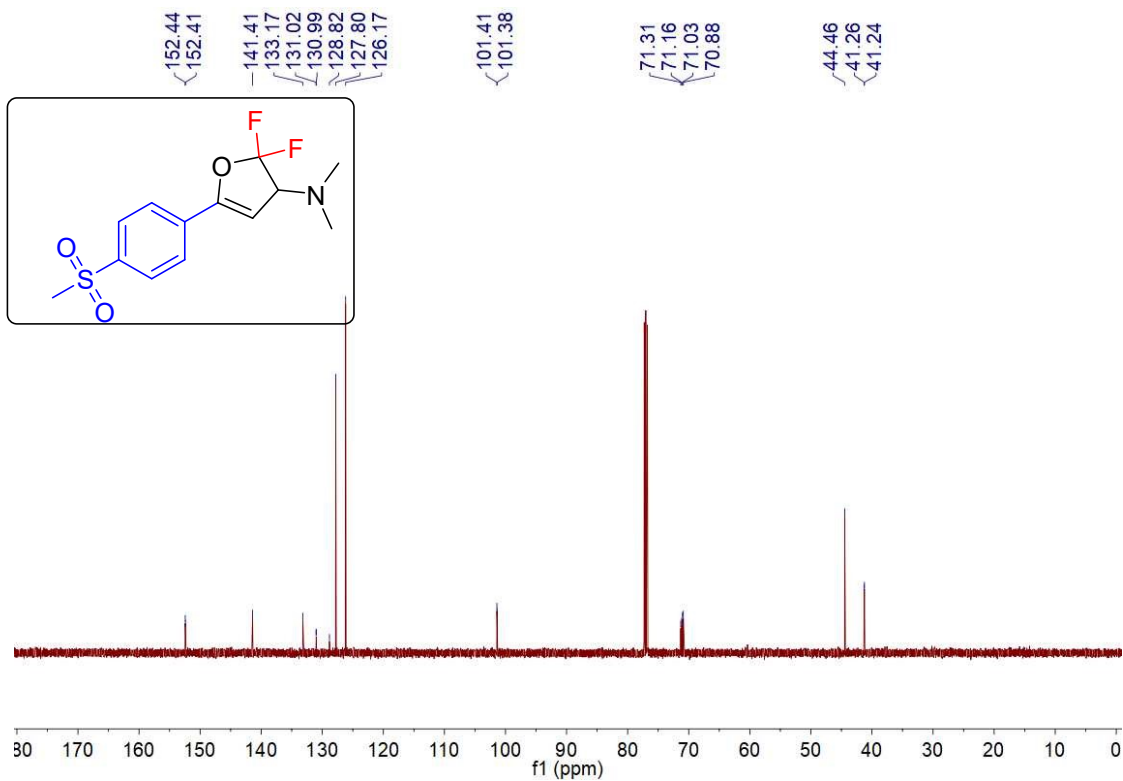


¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-(methylsulfonyl)phenyl)-2,3-dihydrofuran

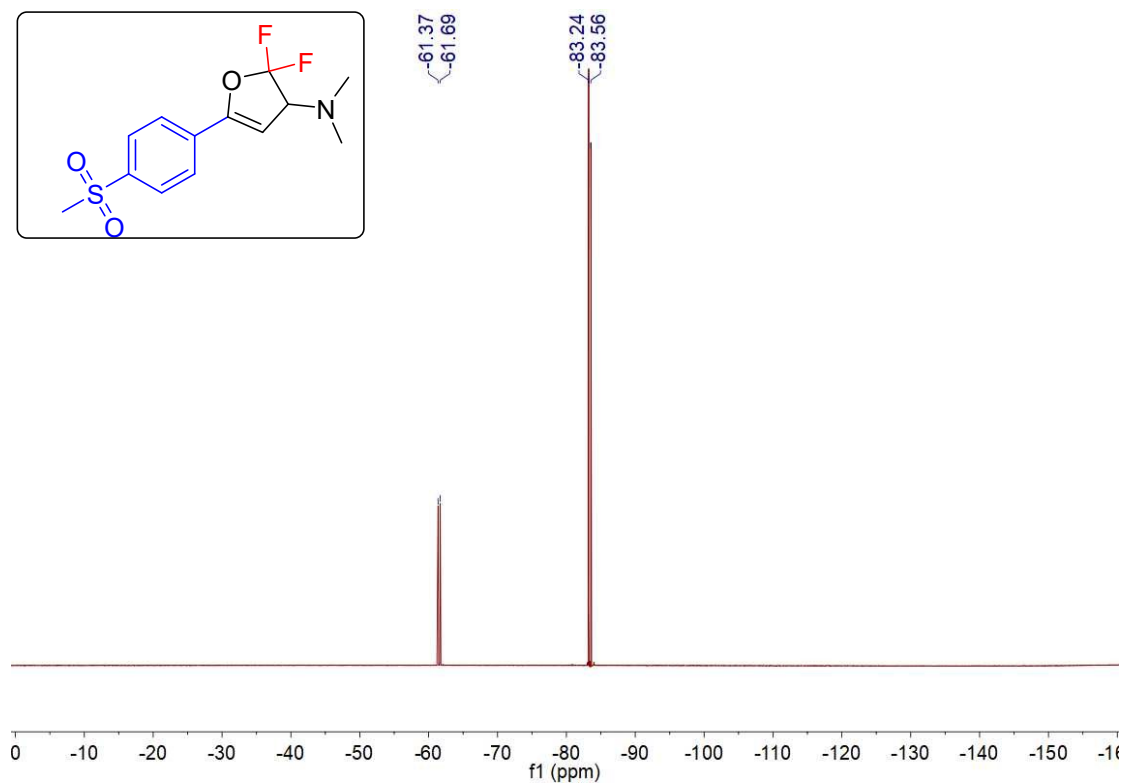
-3-amine (4p)



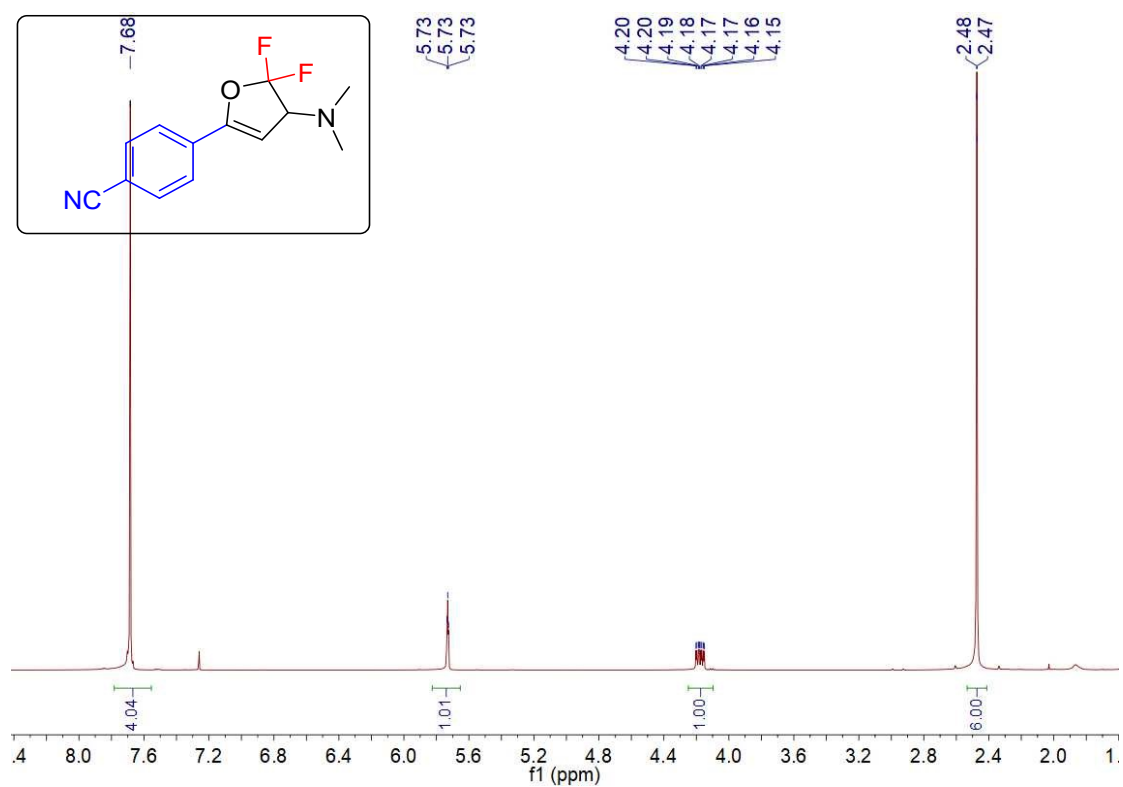
¹³C spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(methylsulfonyl)phenyl)-2,3-dihydrofuran-3-amine (4p)



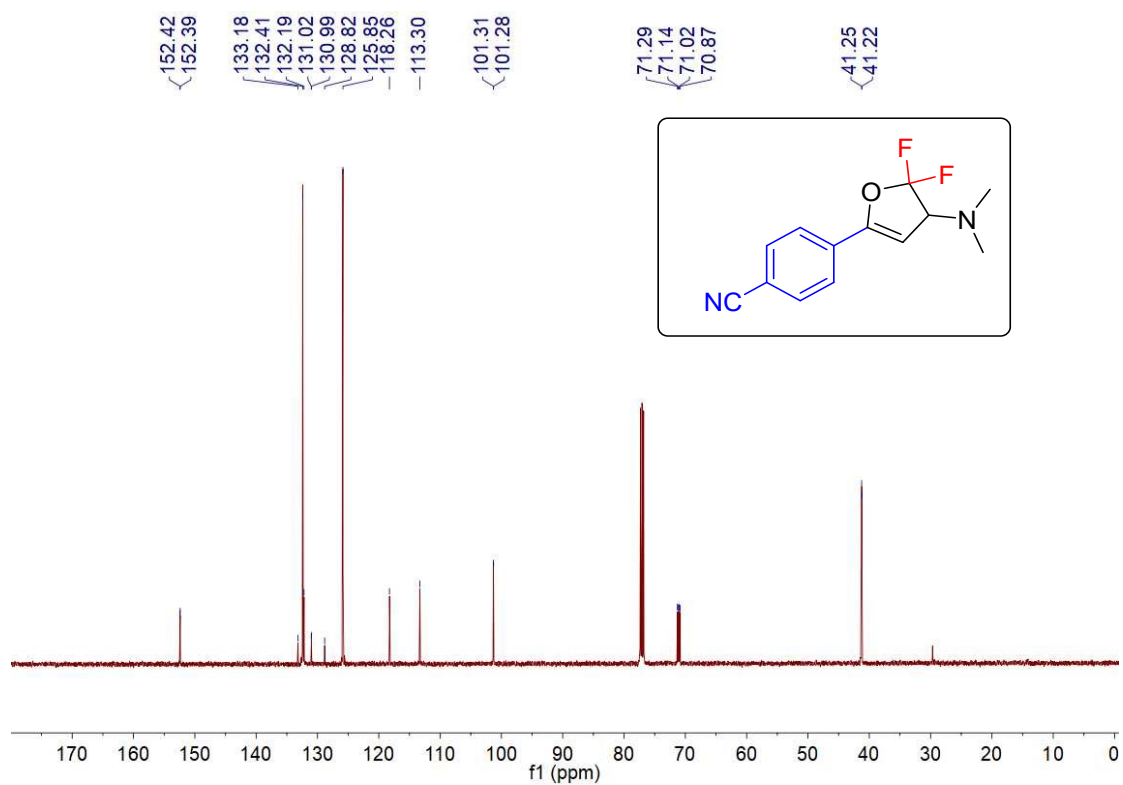
¹⁹F spectrum (CDCl₃) 2,2-difluoro-N, N-dimethyl-5-(4-(methylsulfonyl)phenyl)-2,3-dihydrofuran-3-amine (4p)



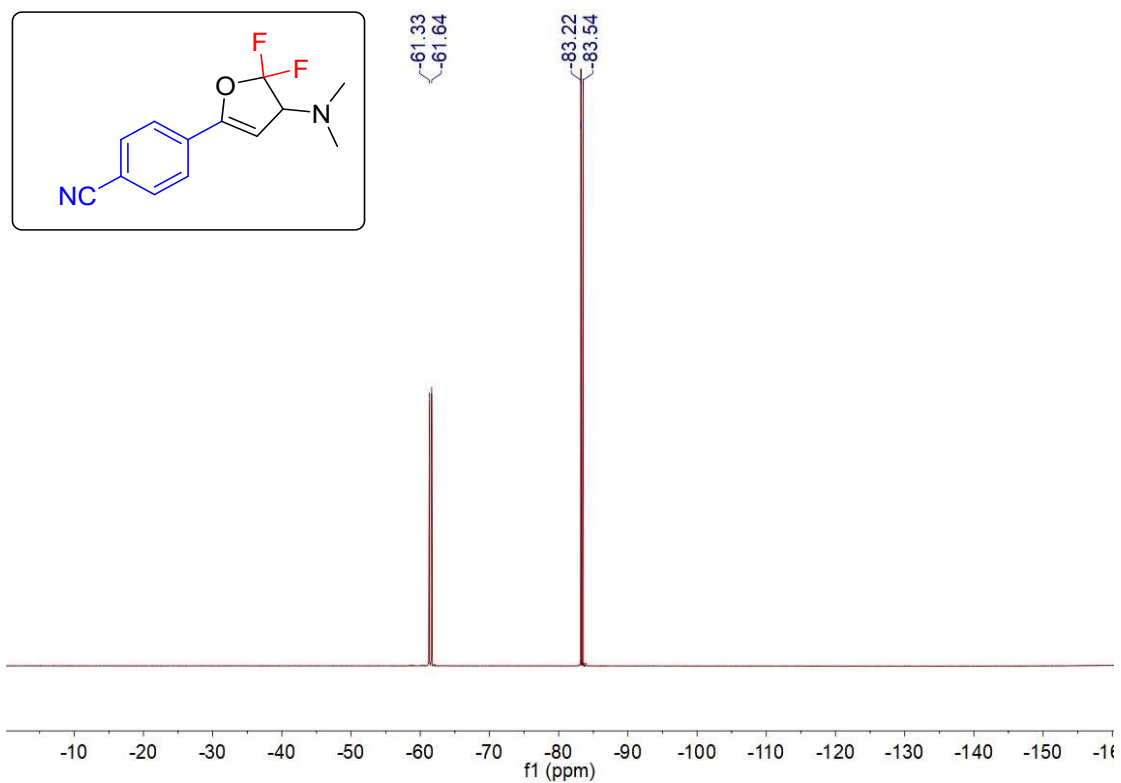
¹H spectrum (CDCl₃) 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzotrile (4q)



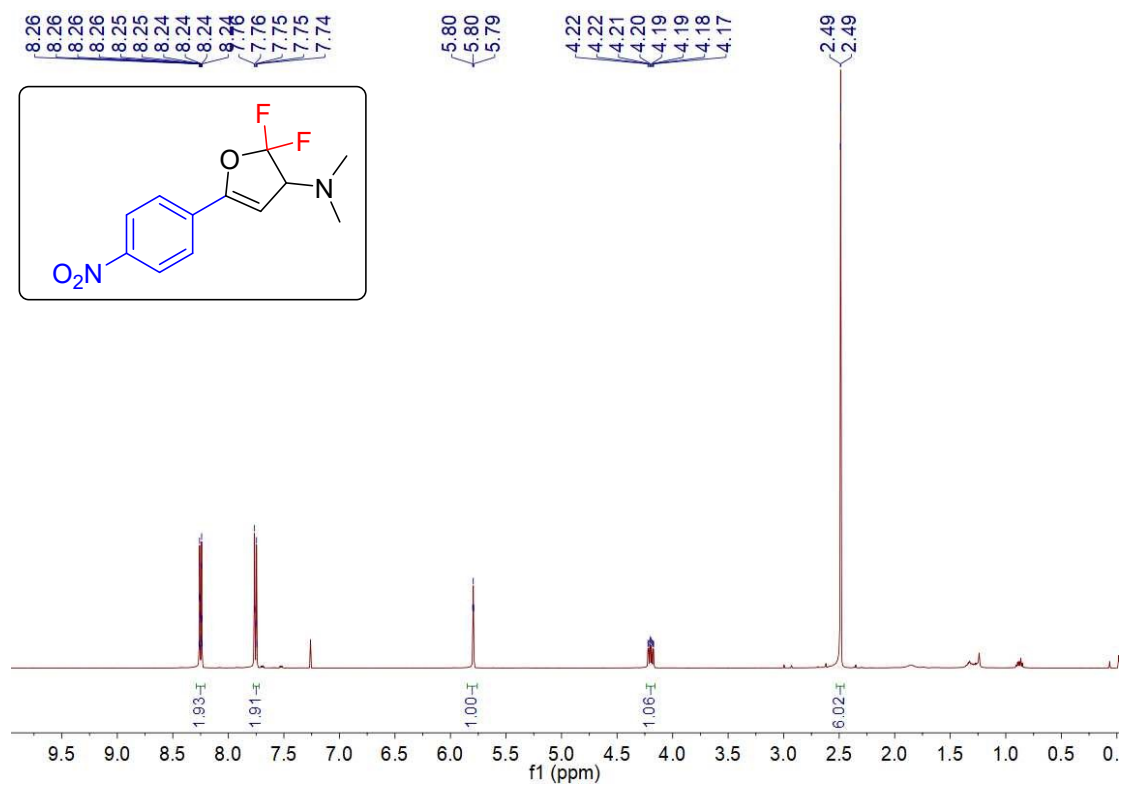
¹³C spectrum (CDCl₃) 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzotrile (4q)



¹⁹F spectrum (CDCl₃) 4-(4-(dimethylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzotrile (4q)

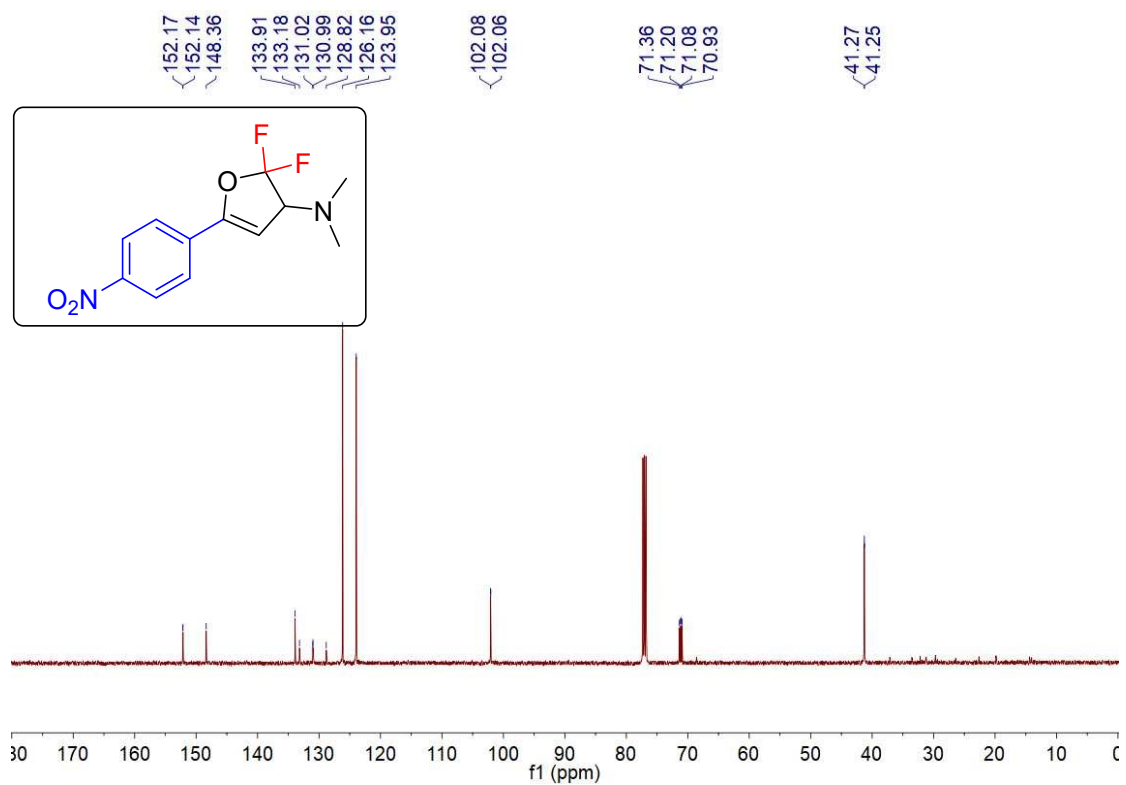


¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-nitrophenyl)-2,3-dihydrofuran-3-amine (4r)

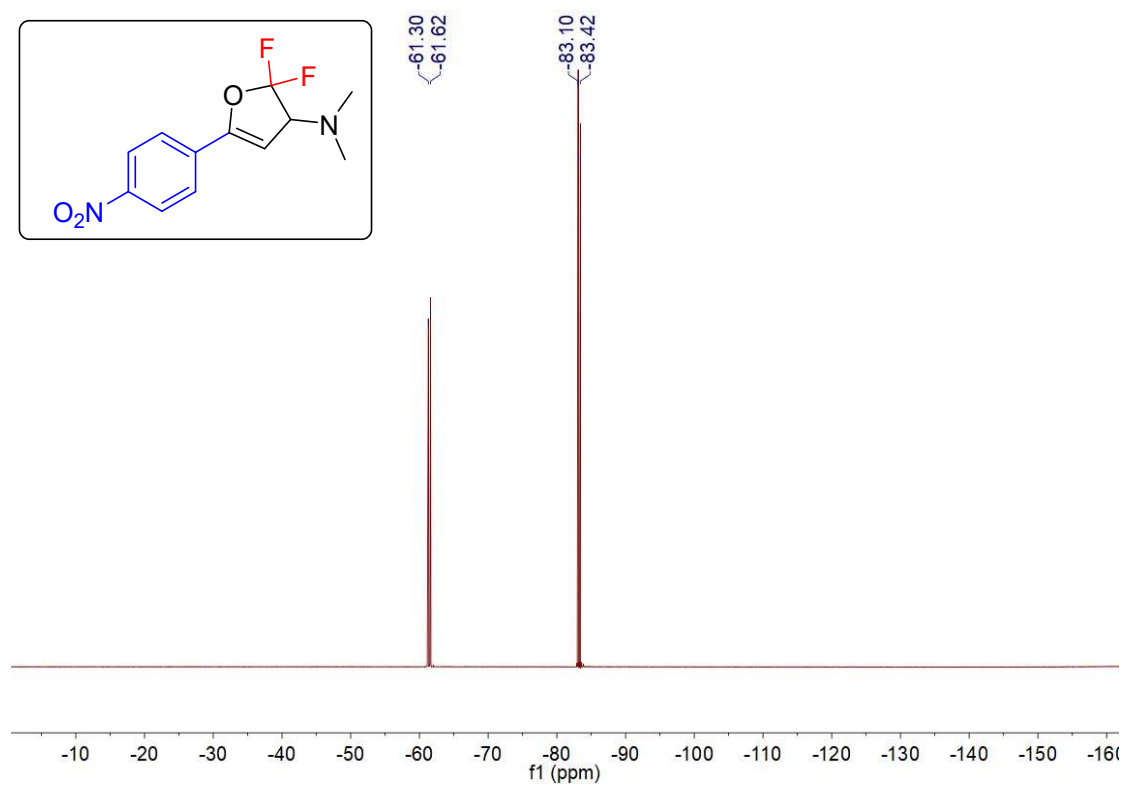


¹³C spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-nitrophenyl)-2,3-dihydrofuran-3-amine (

4r)

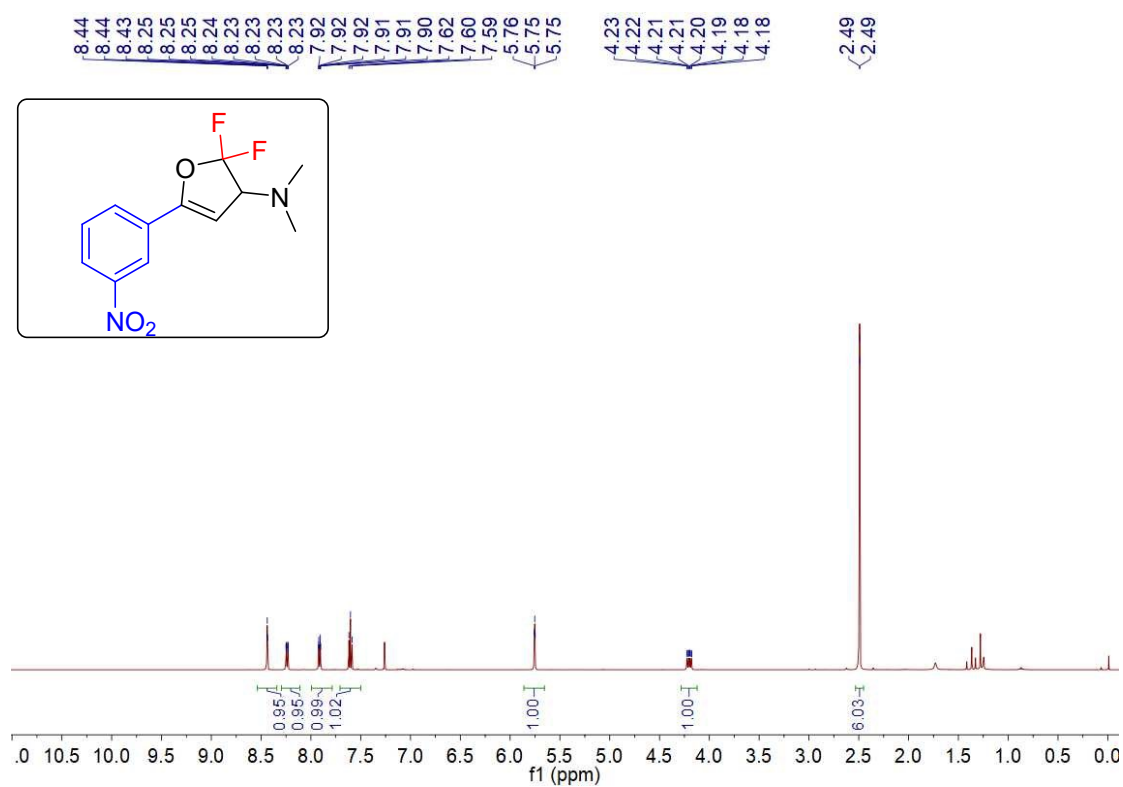


¹⁹F spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(4-nitrophenyl)-2,3-dihydrofuran-3-amine (4r)



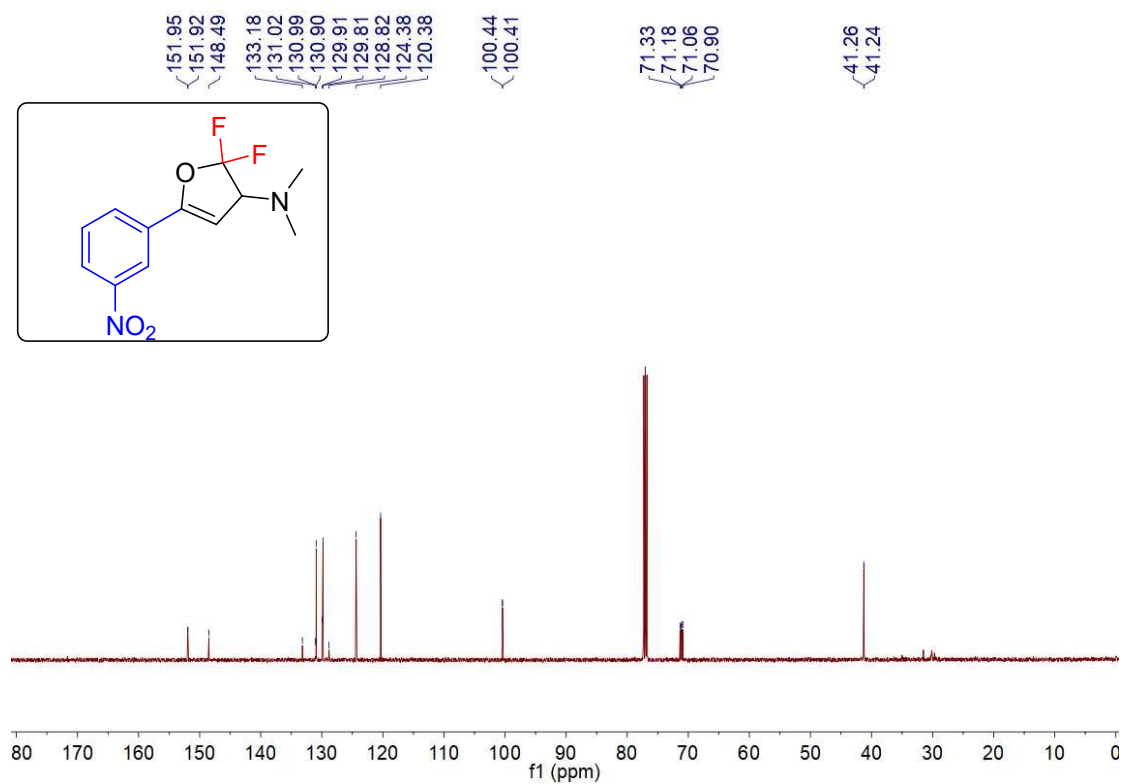
¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(3-nitrophenyl)-2,3-dihydrofuran-3-amine

(4s)



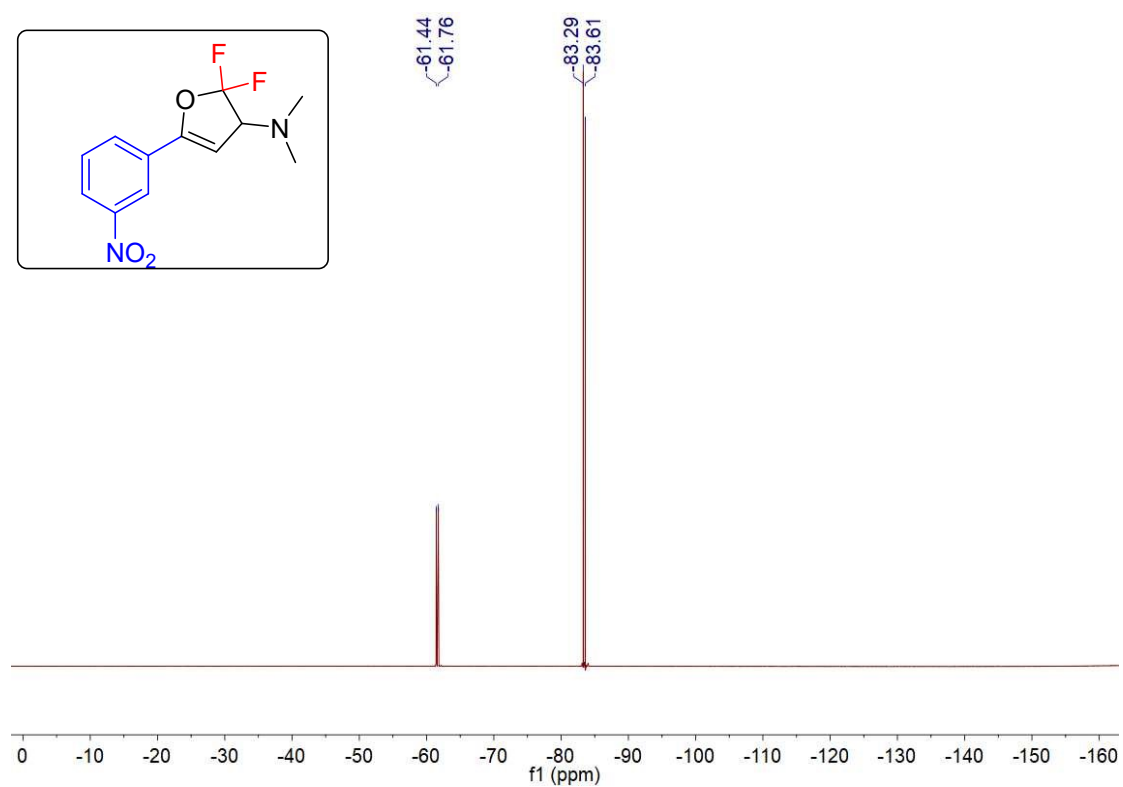
¹³C spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(3-nitrophenyl)-2,3-dihydrofuran-3-amine

(4s)

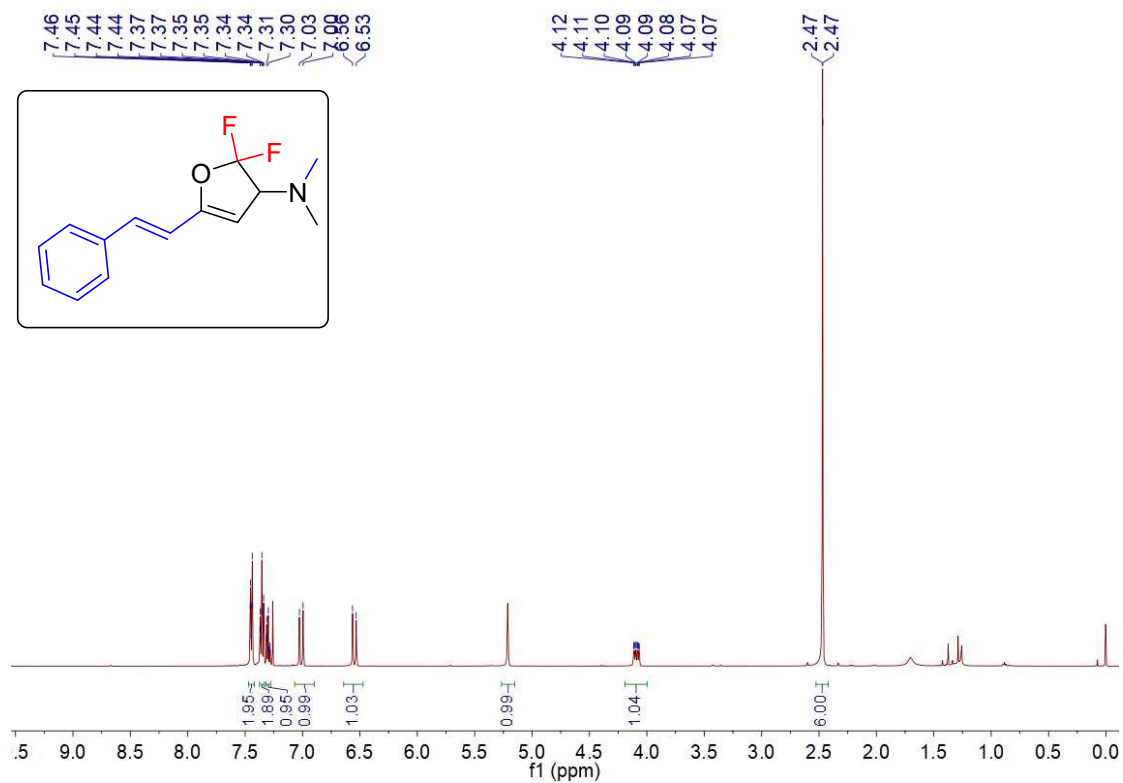


¹⁹F spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(3-nitrophenyl)-2,3-dihydrofuran-3-amine

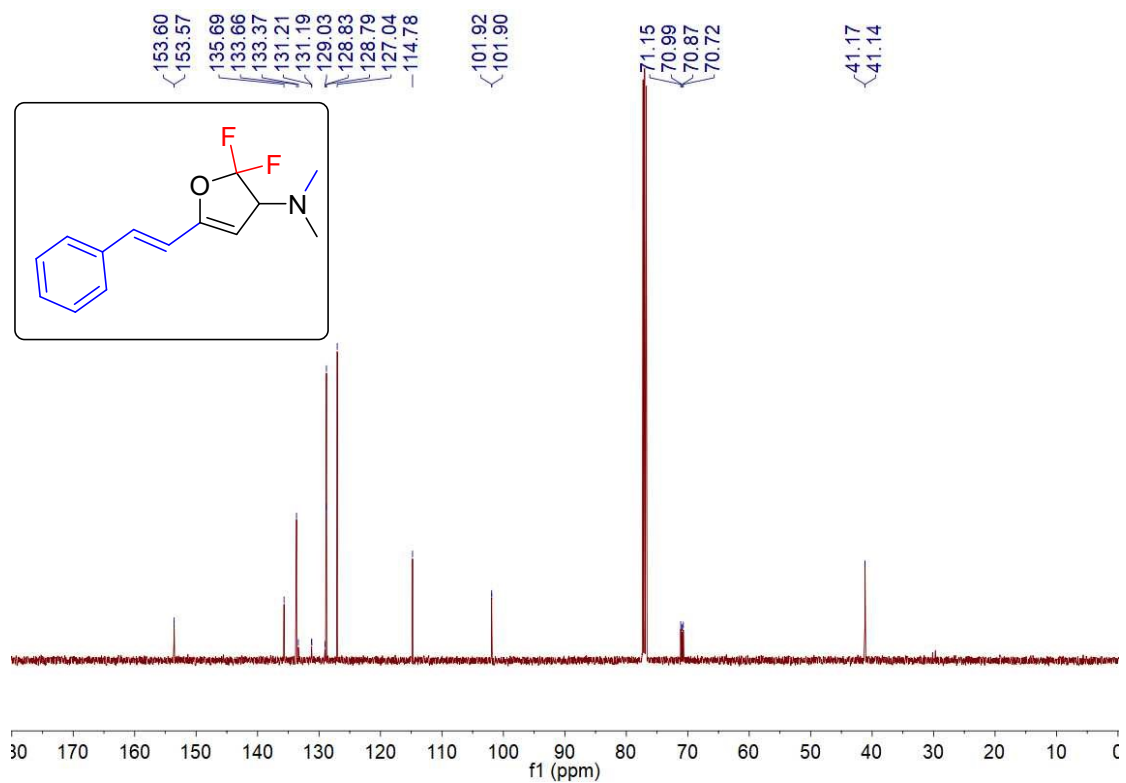
(4s)



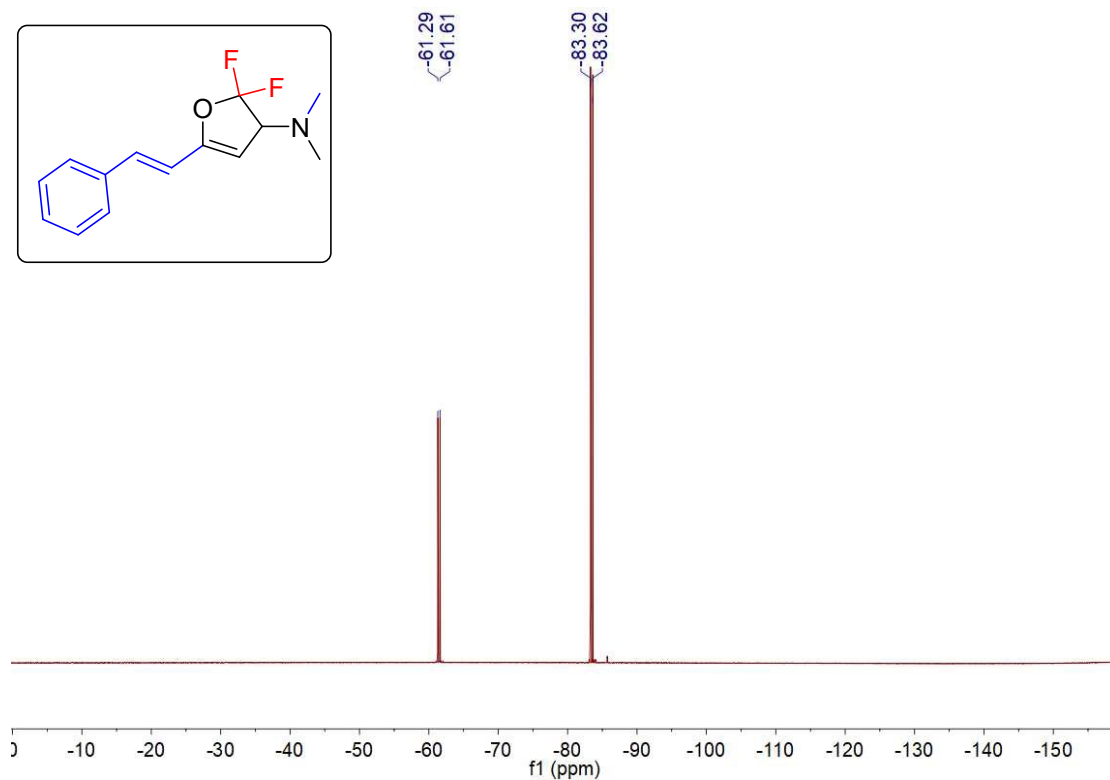
¹H spectrum (CDCl₃) (E)-2,2-difluoro-N,N-dimethyl-5-styryl-2,3-dihydrofuran-3-amine (4t)



¹³C spectrum (CDCl₃) (E)-2,2-difluoro-N,N-dimethyl-5-styryl-2,3-dihydrofuran-3-amine (4t)

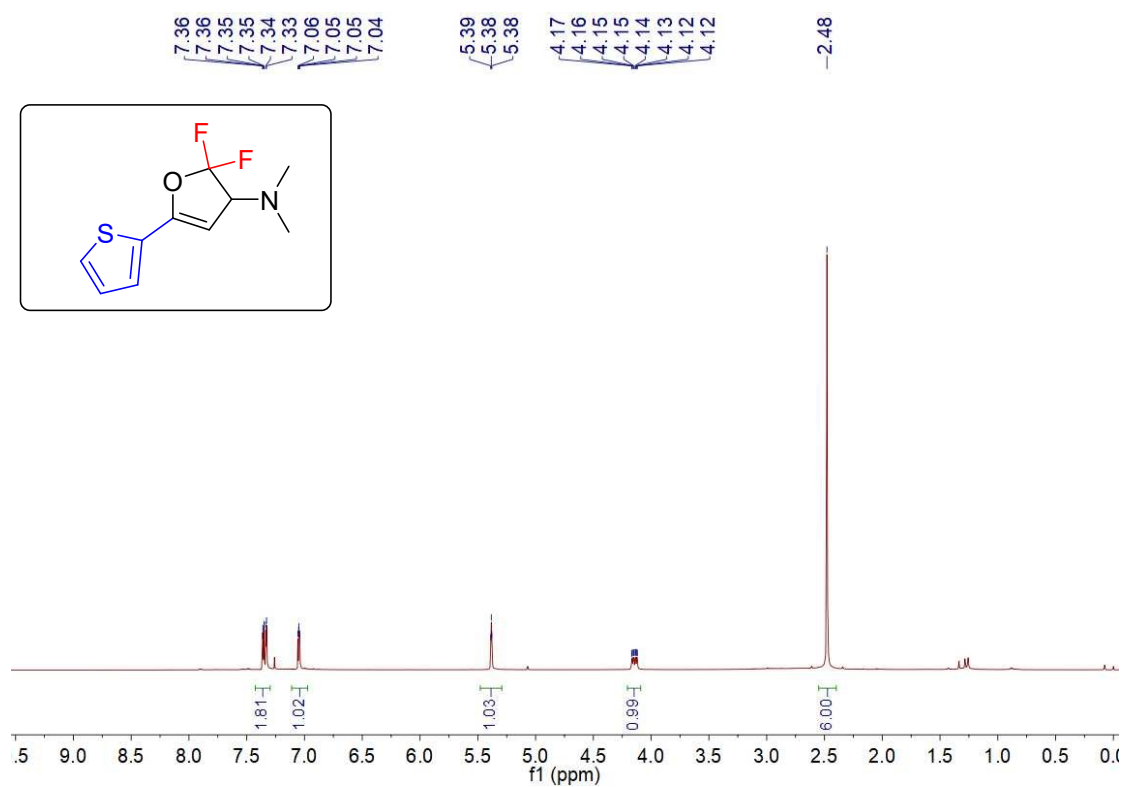


¹⁹F spectrum (CDCl₃) (E)-2,2-difluoro-N,N-dimethyl-5-styryl-2,3-dihydrofuran-3-amine (4t)

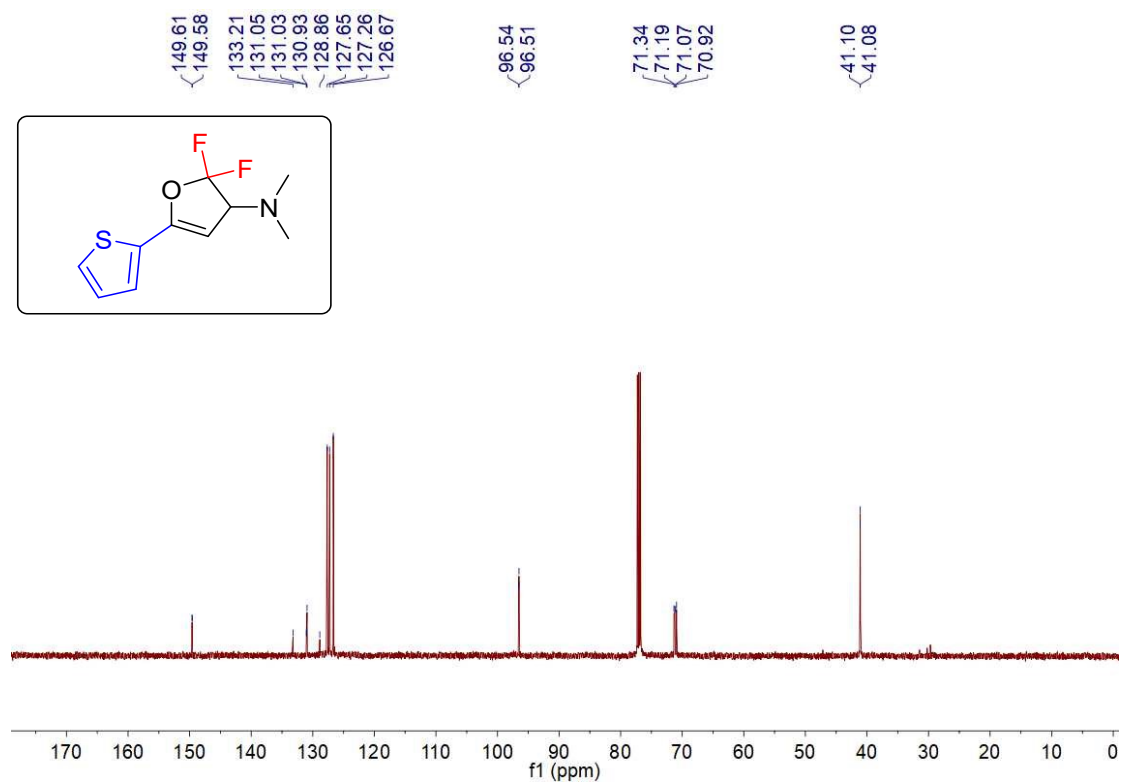


¹H spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(thiophen-2-yl)-2,3-dihydrofuran-3-amine

(4u)

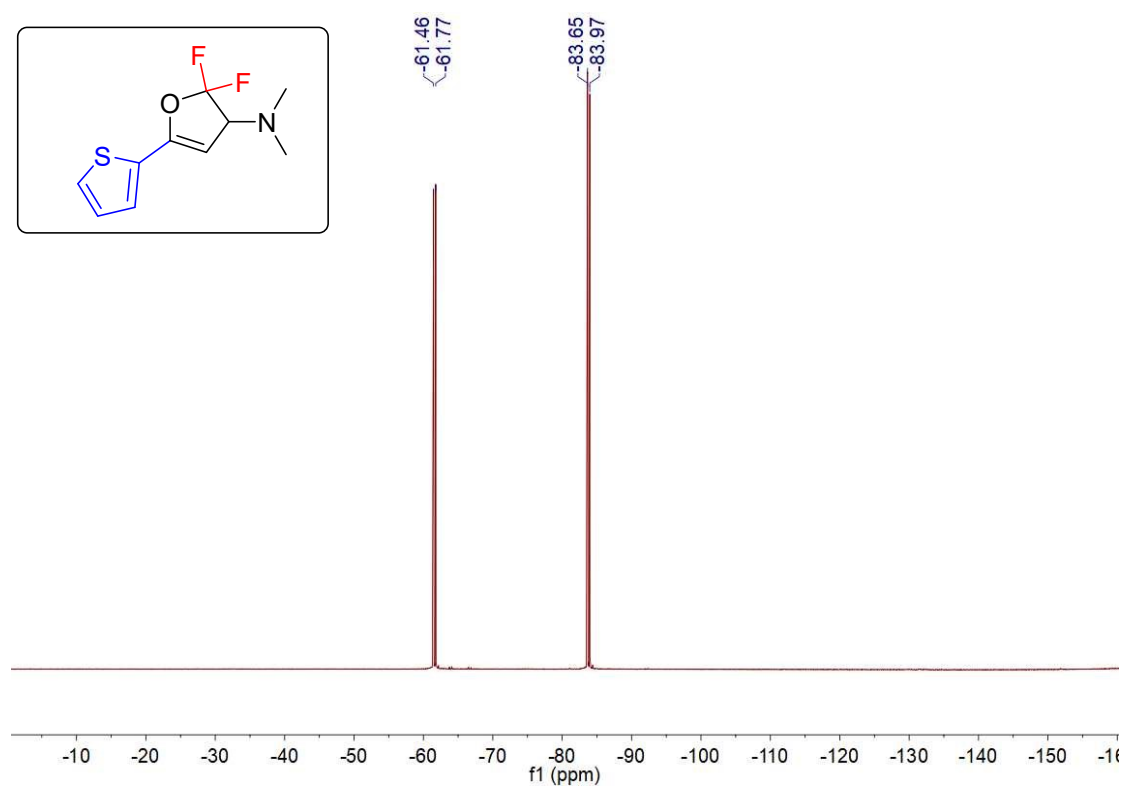


¹³C spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(thiophen-2-yl)-2,3-dihydrofuran-3-amine (4u)

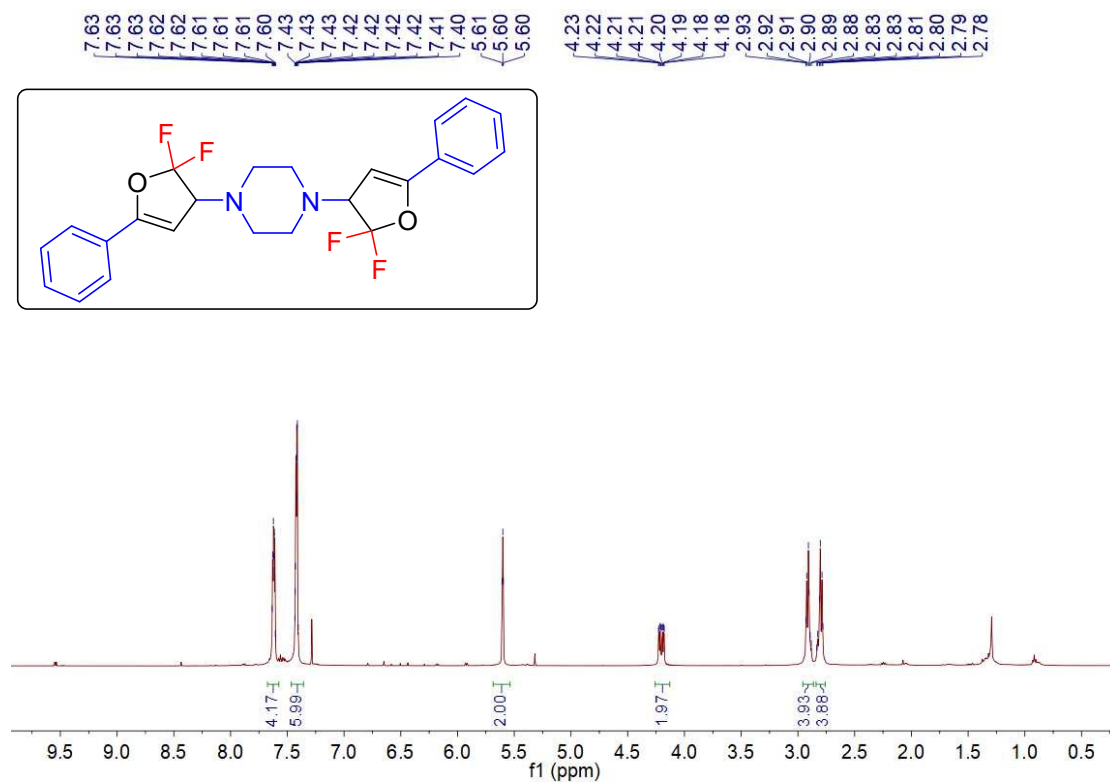


¹⁹F spectrum (CDCl₃) 2,2-difluoro-N,N-dimethyl-5-(thiophen-2-yl)-2,3-dihydrofuran-3-amine

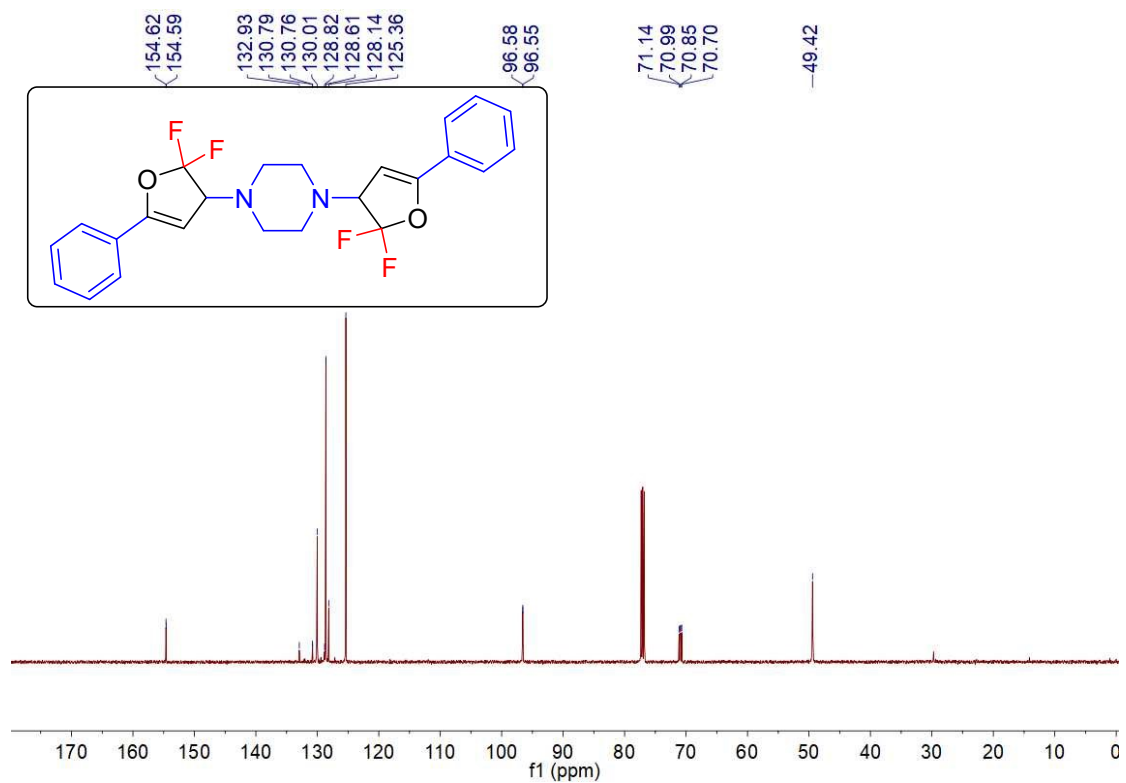
(4u)



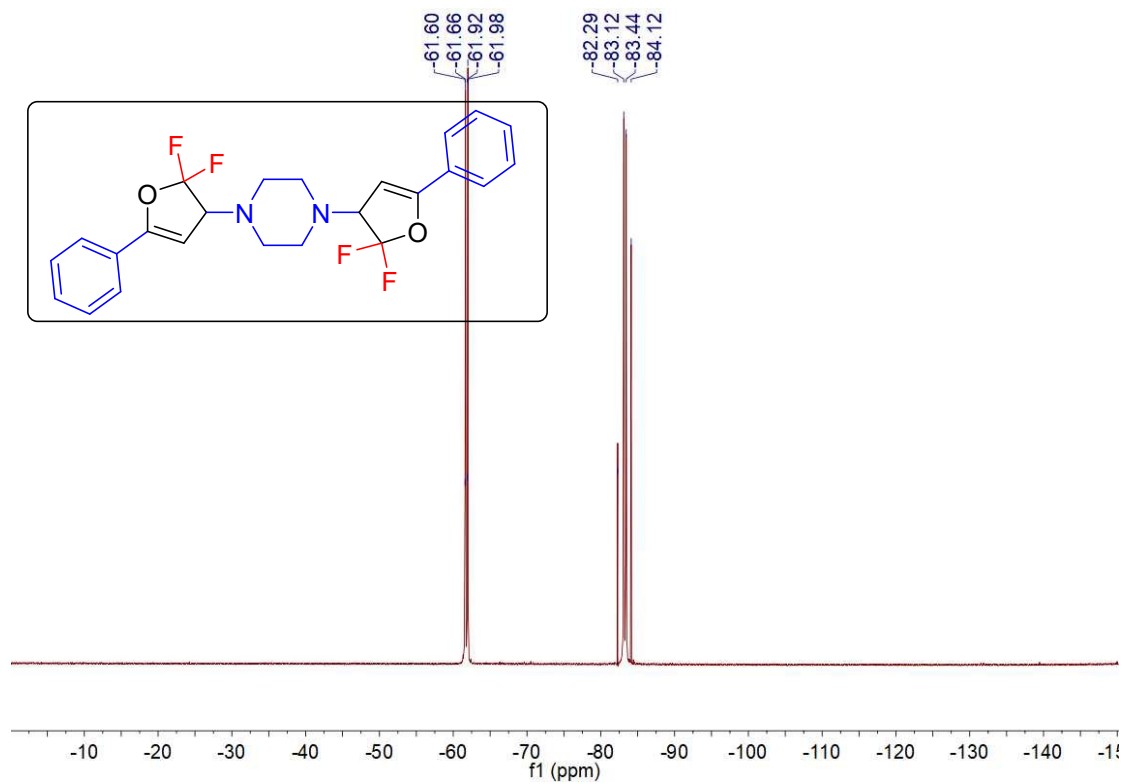
¹H spectrum (CDCl₃) 1,4-bis(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)piperazine (4v)



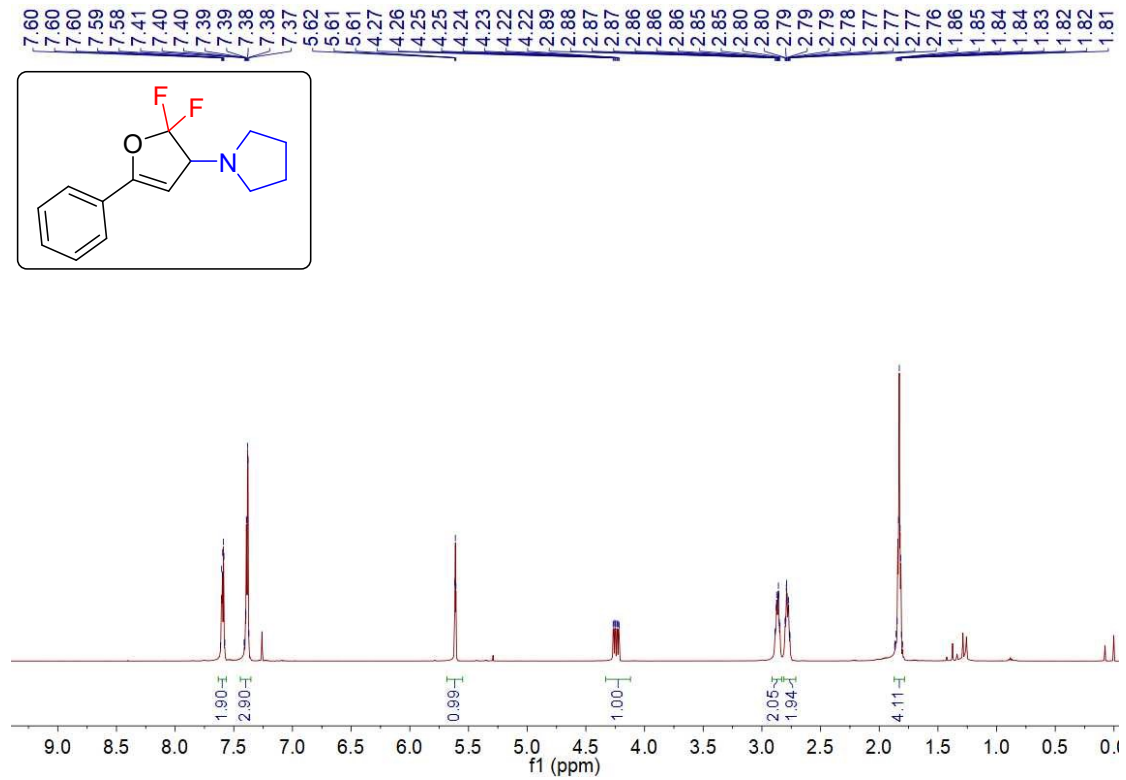
¹³C spectrum (CDCl₃) 1,4-bis(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)piperazine (4v)



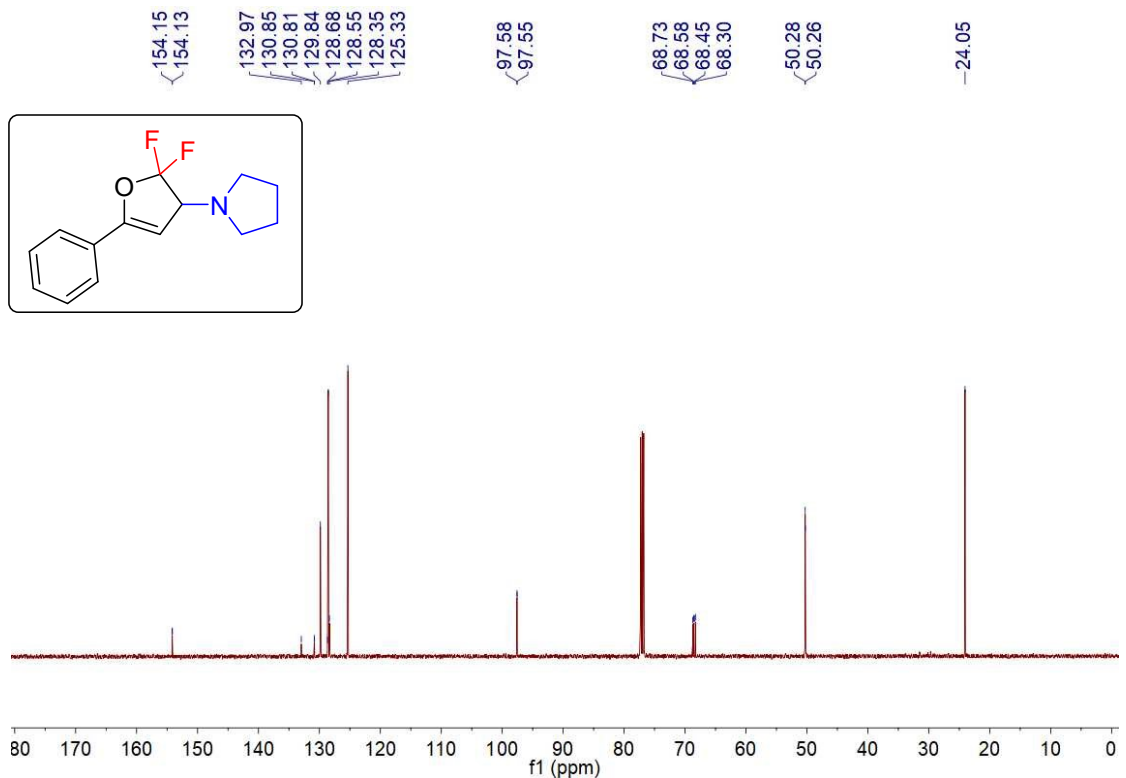
¹⁹F spectrum (CDCl₃) 1,4-bis(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)piperazine (4v)



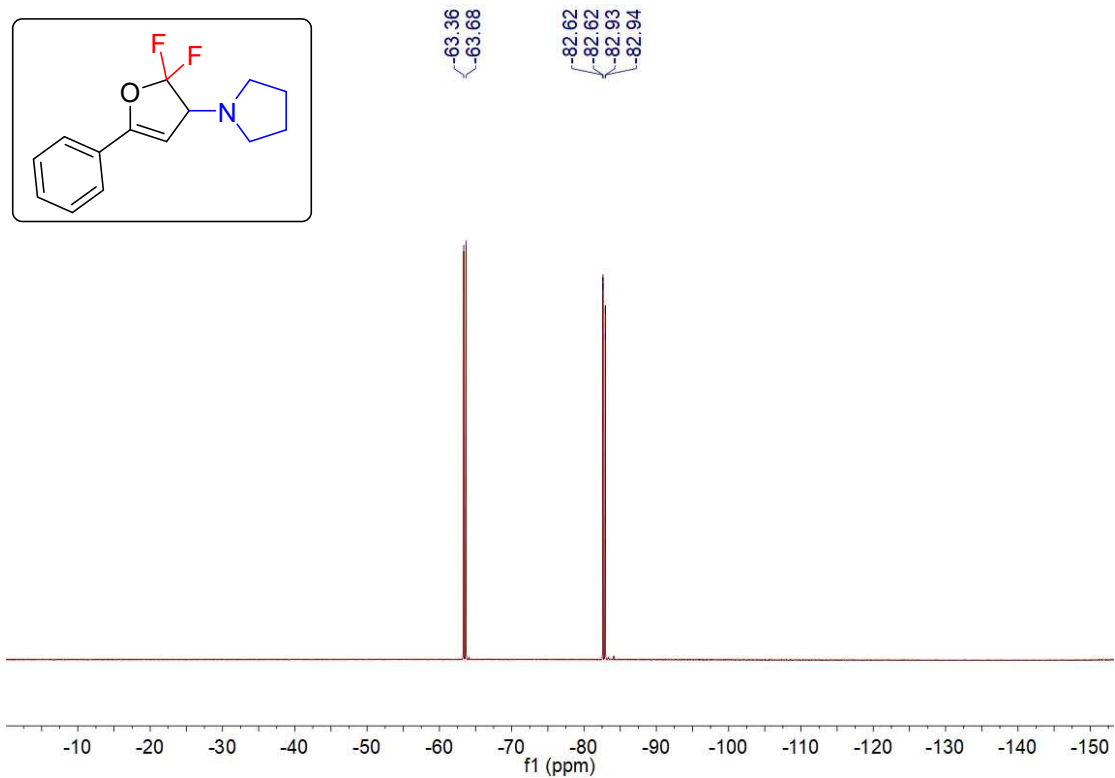
¹H spectrum (CDCl₃) 1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) pyrrolidine (5a)



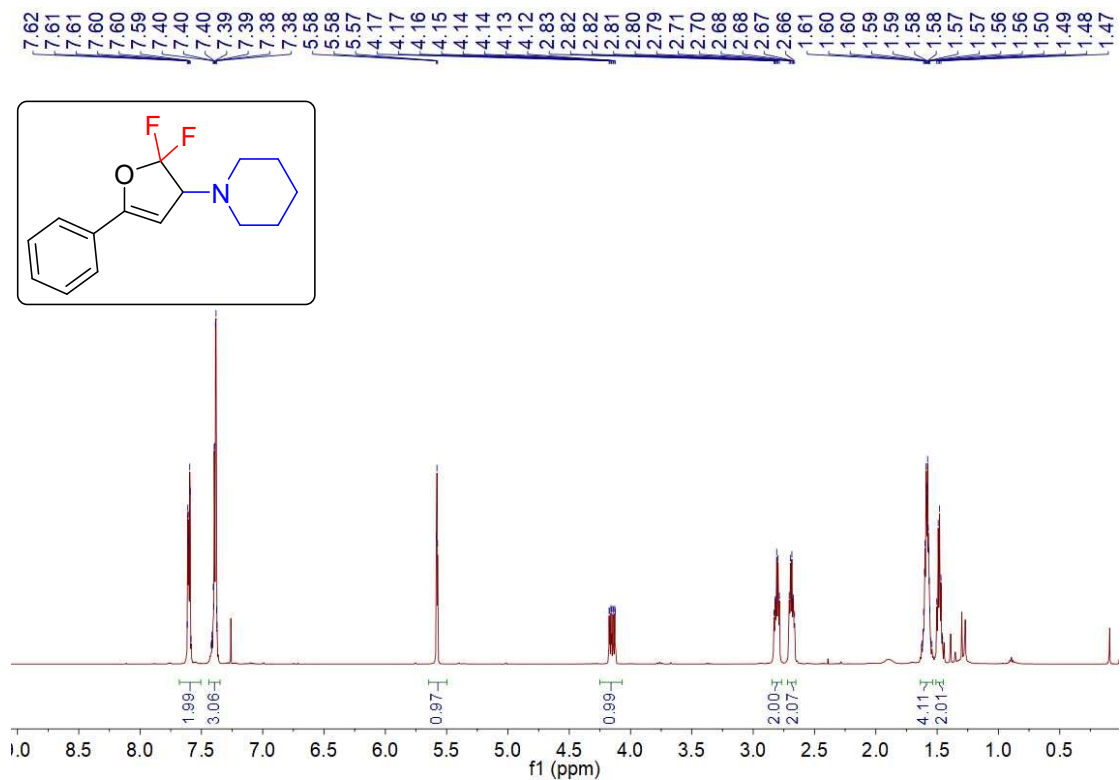
¹³C spectrum (CDCl₃) 1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) pyrrolidine (5a)



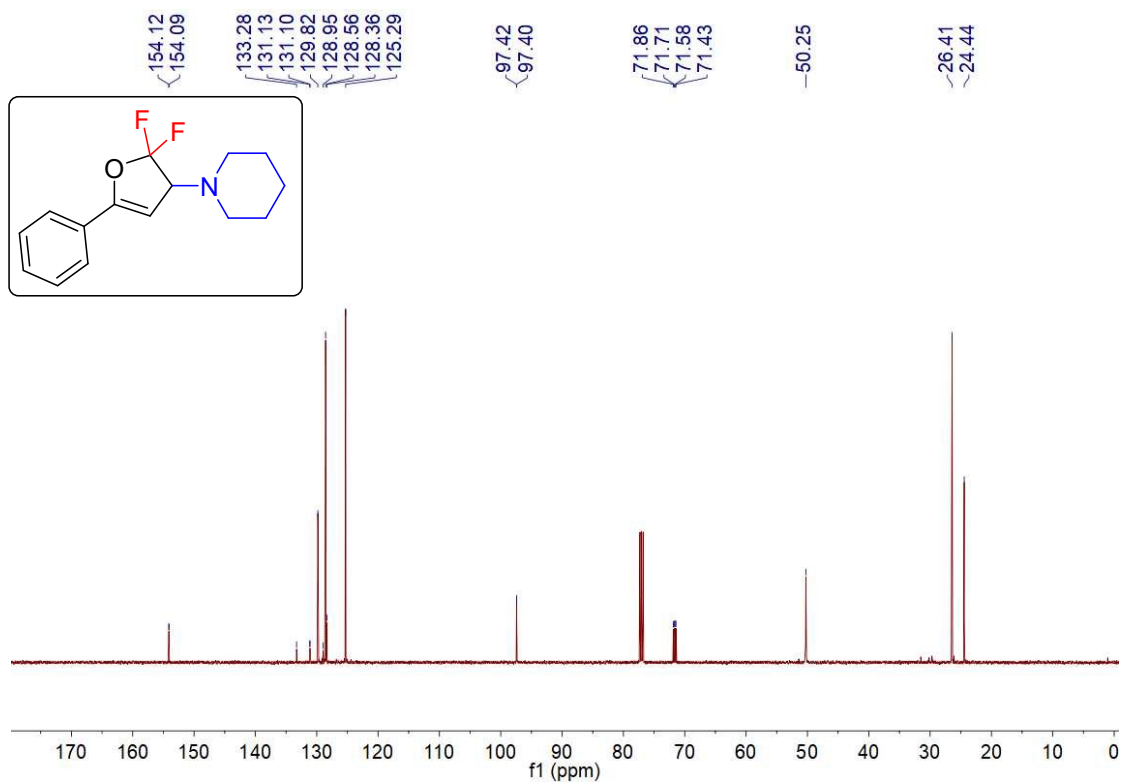
¹⁹F spectrum (CDCl₃) 1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) pyrrolidine (5a)



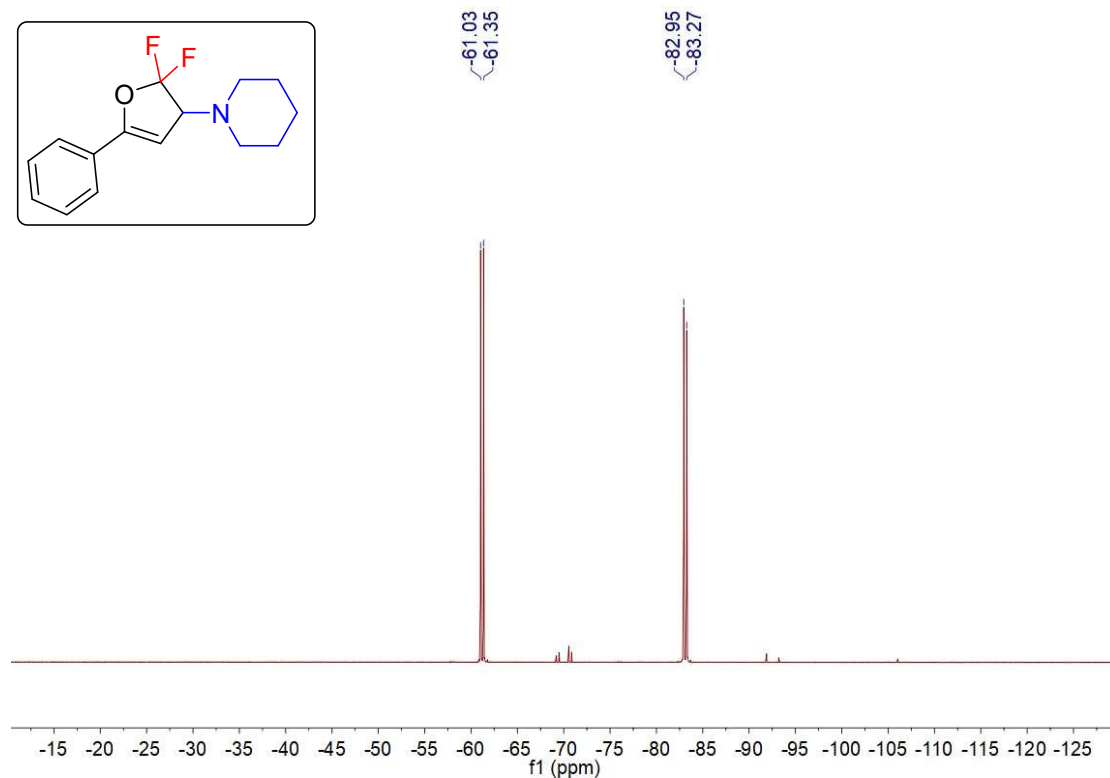
¹H spectrum (CDCl₃) 1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) piperidine (5b)



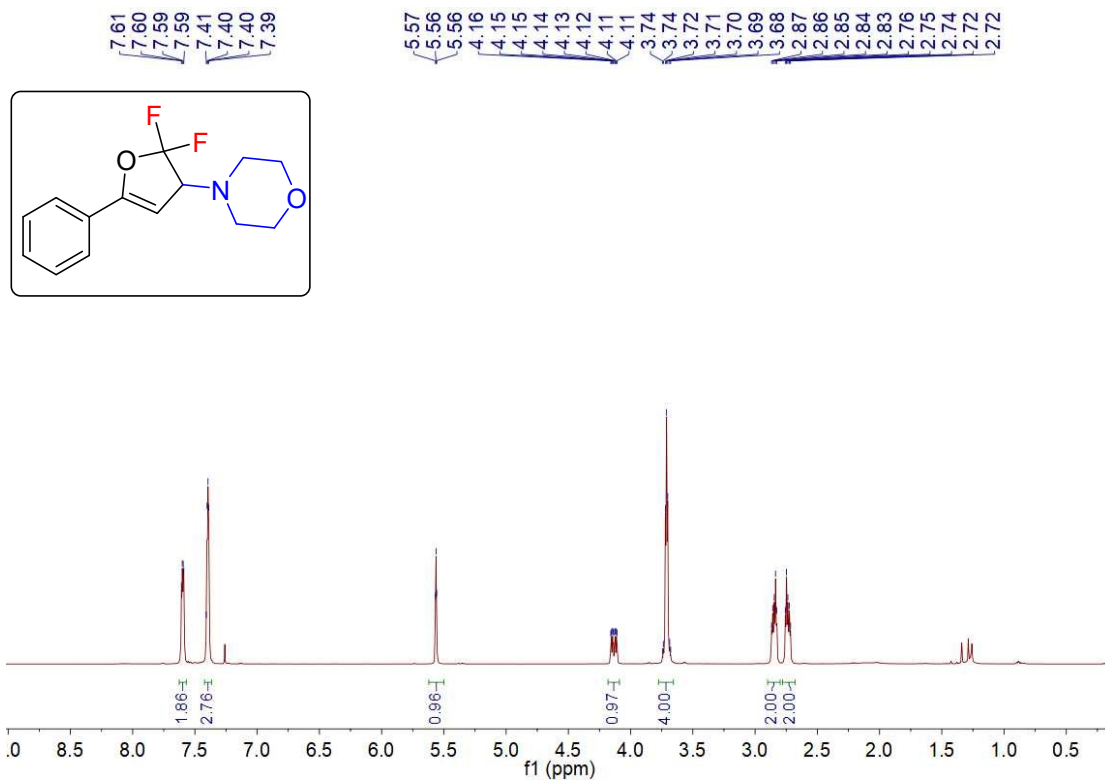
¹³C spectrum (CDCl₃) 1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) piperidine (5b)



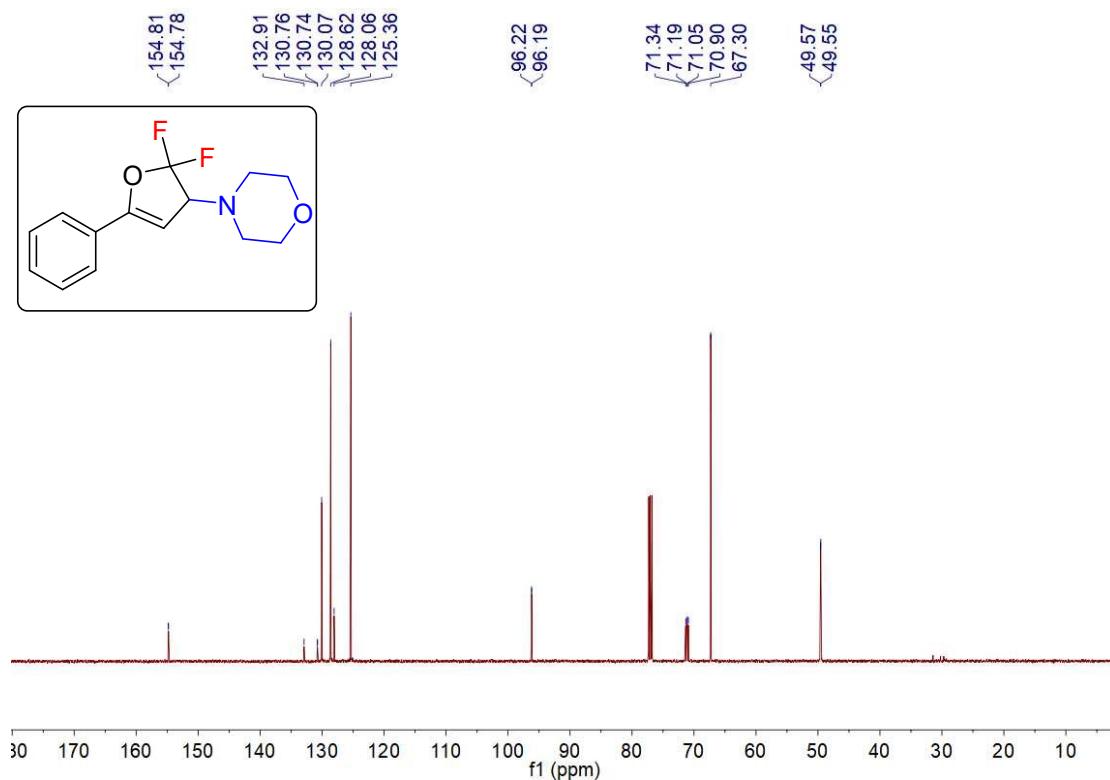
¹⁹F spectrum (CDCl₃) 1-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) piperidine (5b)



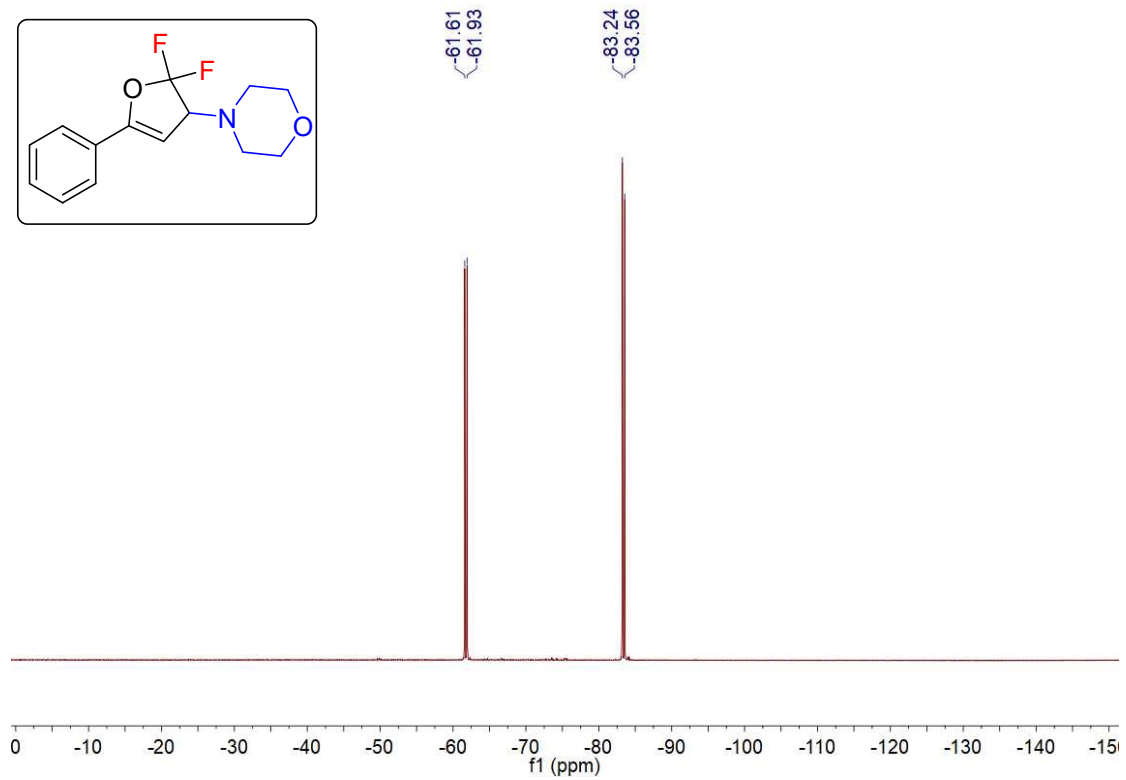
¹H spectrum (CDCl₃) 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) morpholine (5c)



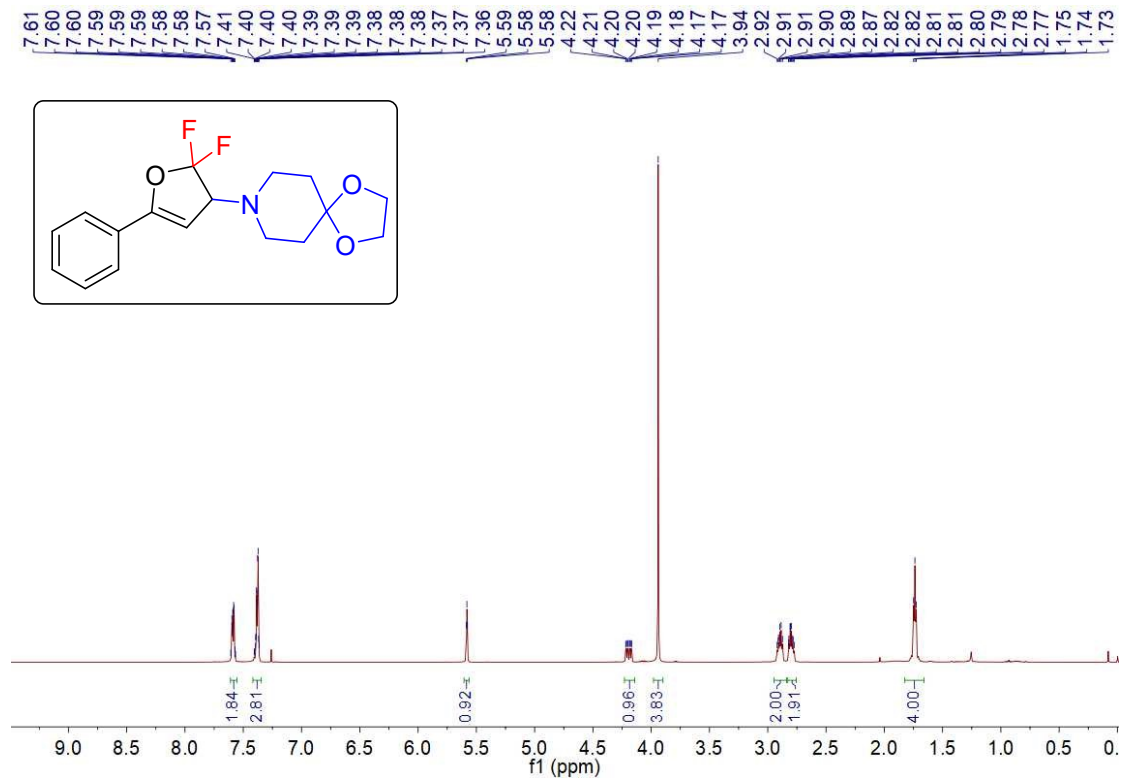
¹³C spectrum (CDCl₃) 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) morpholine (5c)



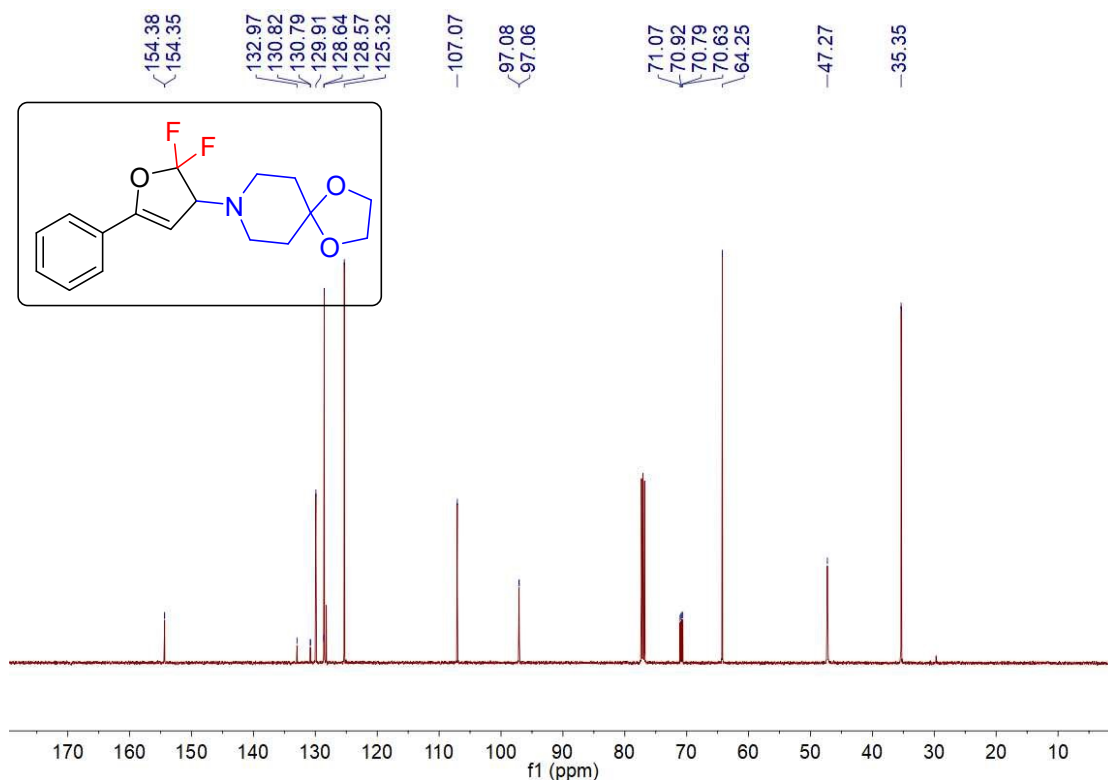
¹⁹F spectrum (CDCl₃) 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl) morpholine (5c)



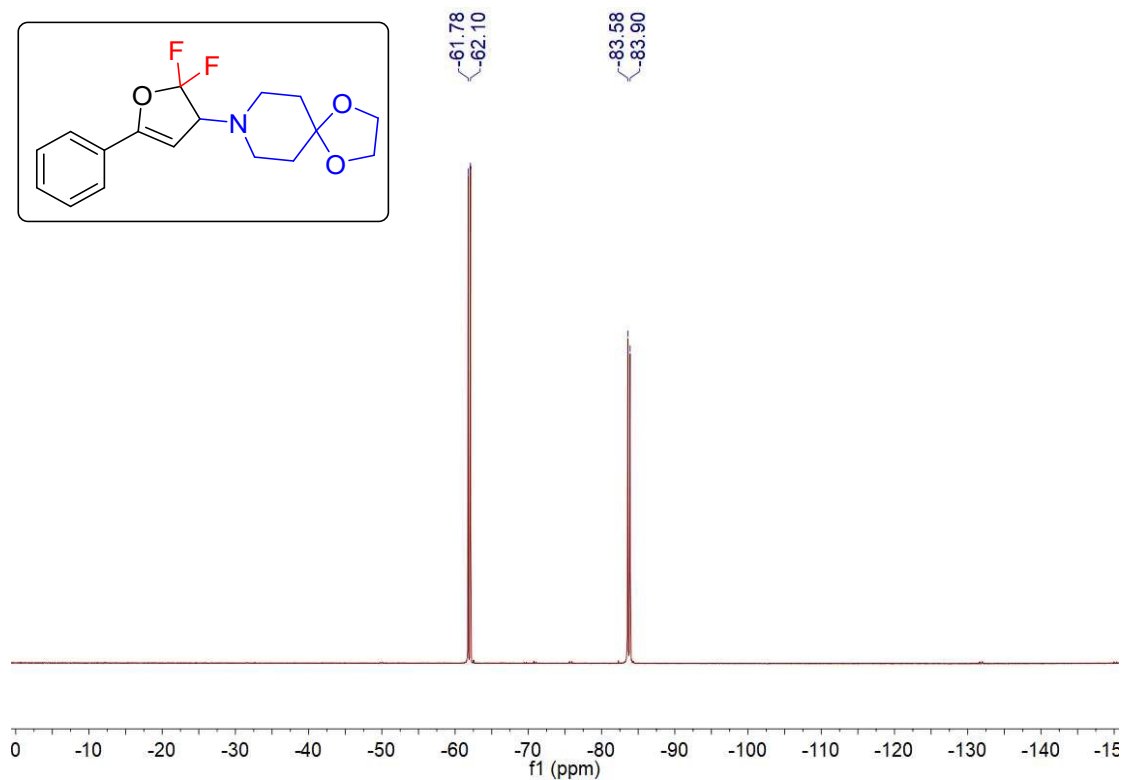
¹H spectrum (CDCl₃) 8-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)-1,4-dioxo-8-azaspiro[4.5]decane (5d)



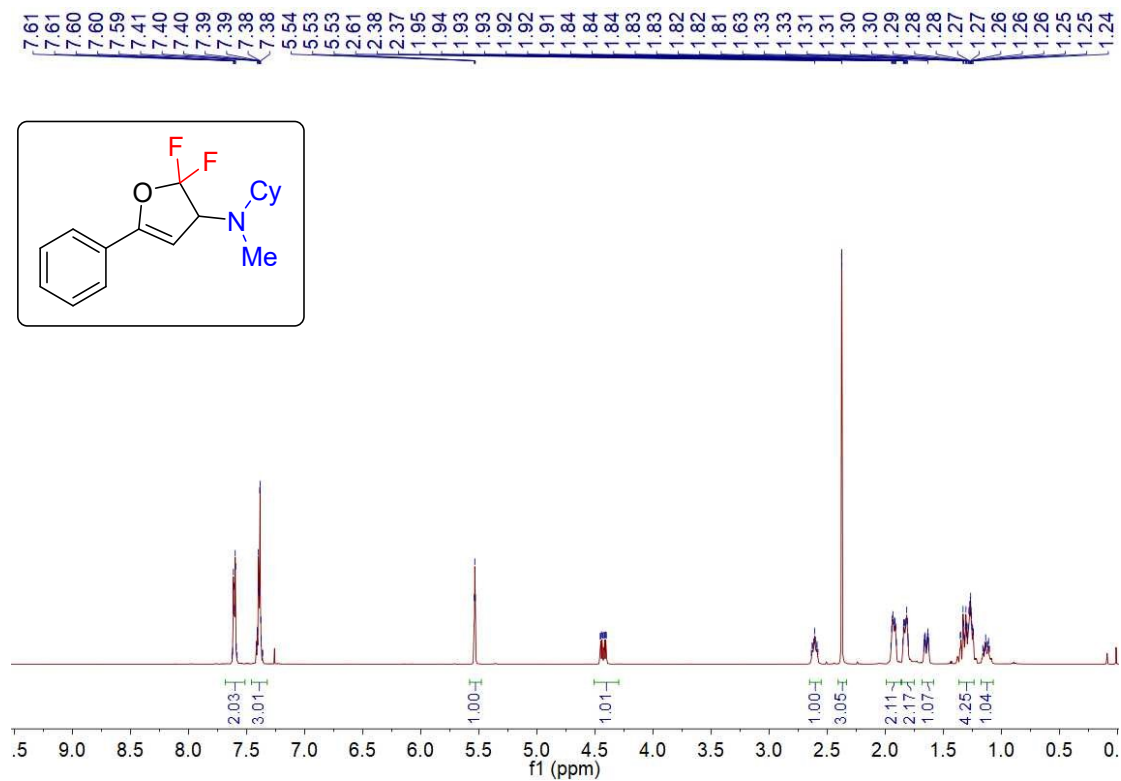
¹³C spectrum (CDCl₃) 8-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)-1,4-dioxo-8-azaspiro[4.5]decane (5d)



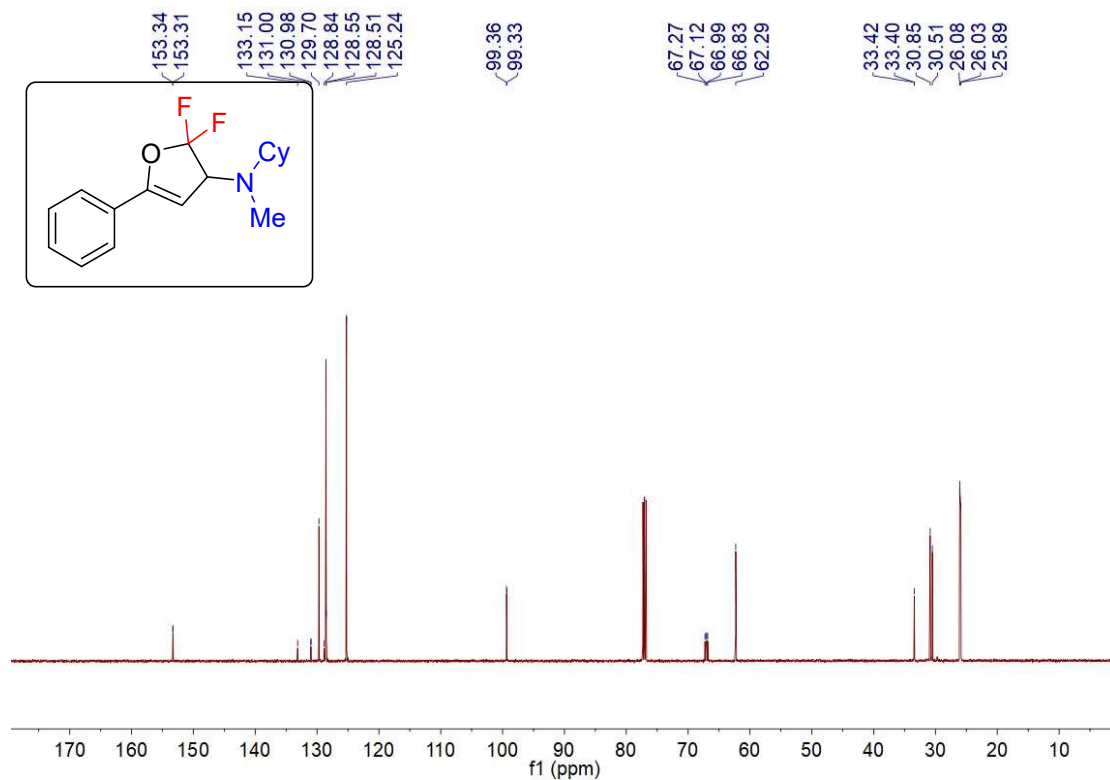
¹⁹F spectrum (CDCl₃) 8-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)-1,4-dioxaspiro [4.5]decane (5d)



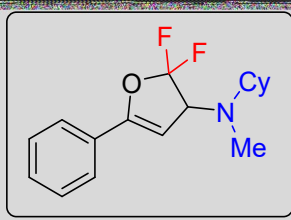
¹H spectrum (CDCl₃) N-cyclohexyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5e)



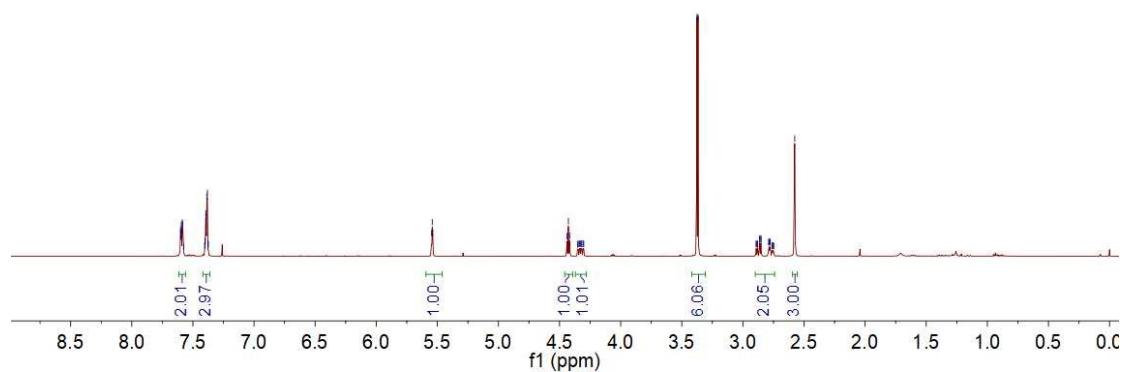
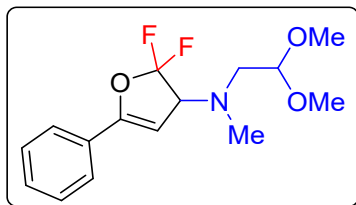
¹³C spectrum (CDCl₃) N-cyclohexyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5e)



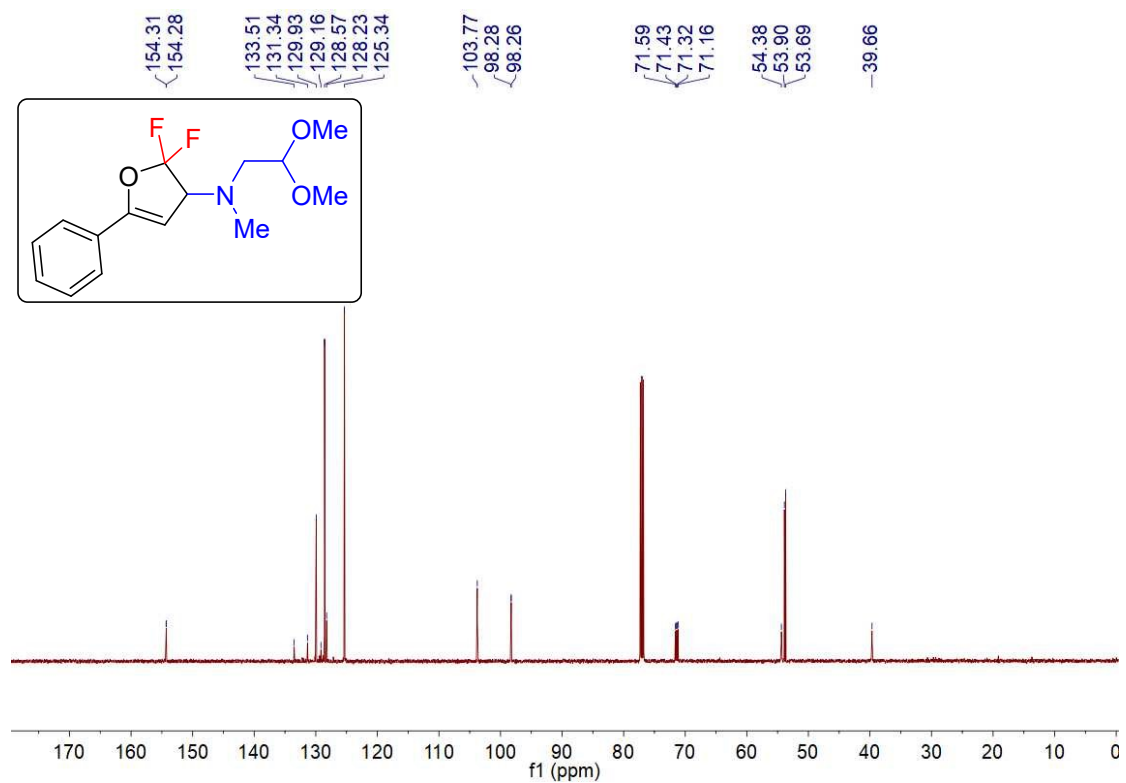
¹⁹F spectrum (CDCl₃) N-cyclohexyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5e)



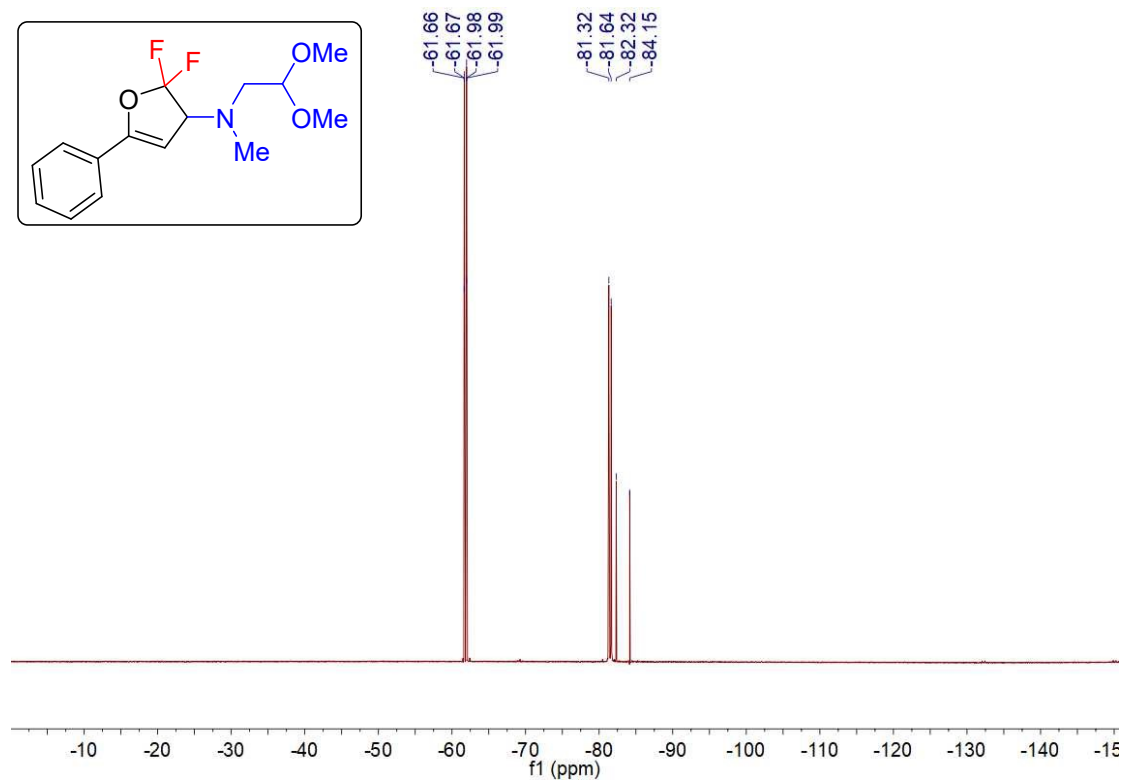
¹H spectrum (CDCl₃) N-(2,2-dimethoxyethyl)-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine(5f)



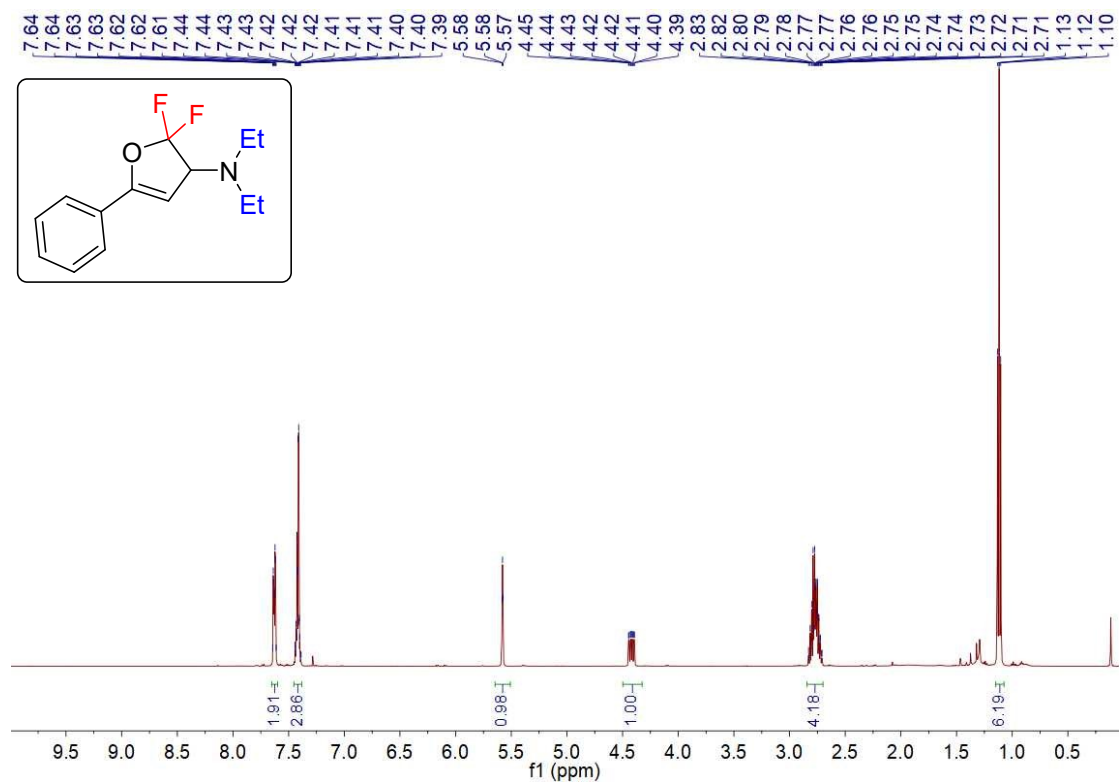
¹³C spectrum (CDCl₃) N-(2,2-dimethoxyethyl)-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5f)



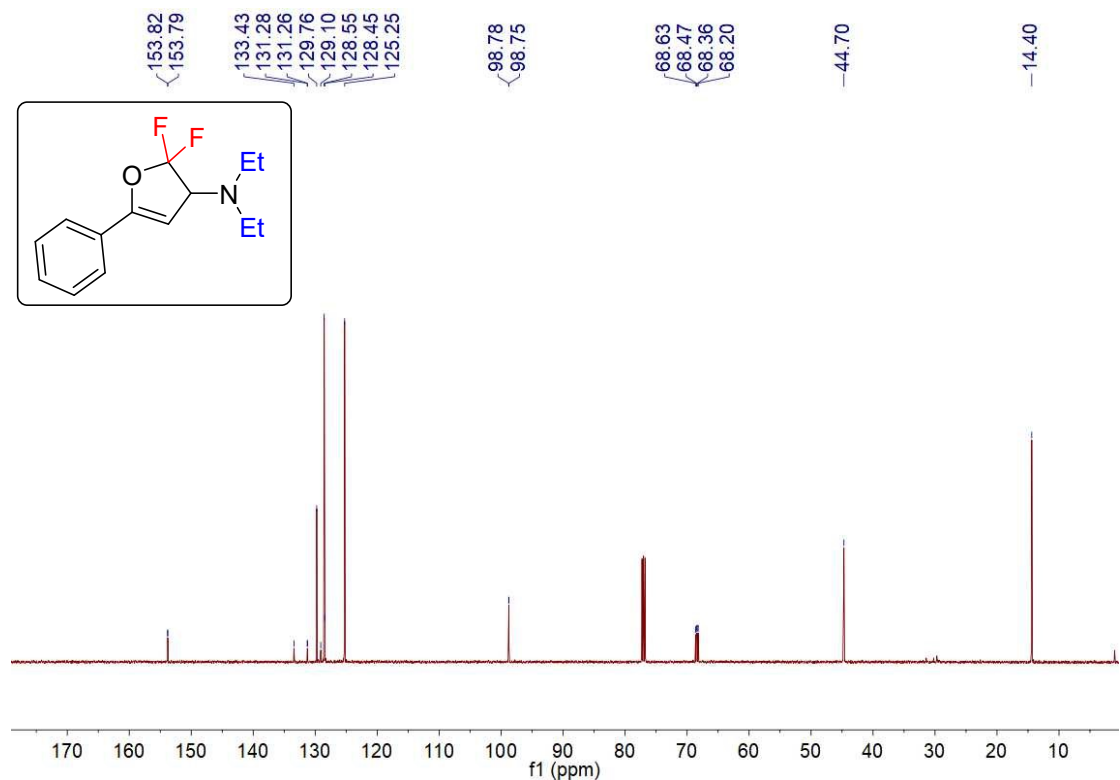
¹⁹F spectrum (CDCl₃) N-(2,2-dimethoxyethyl)-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5f)



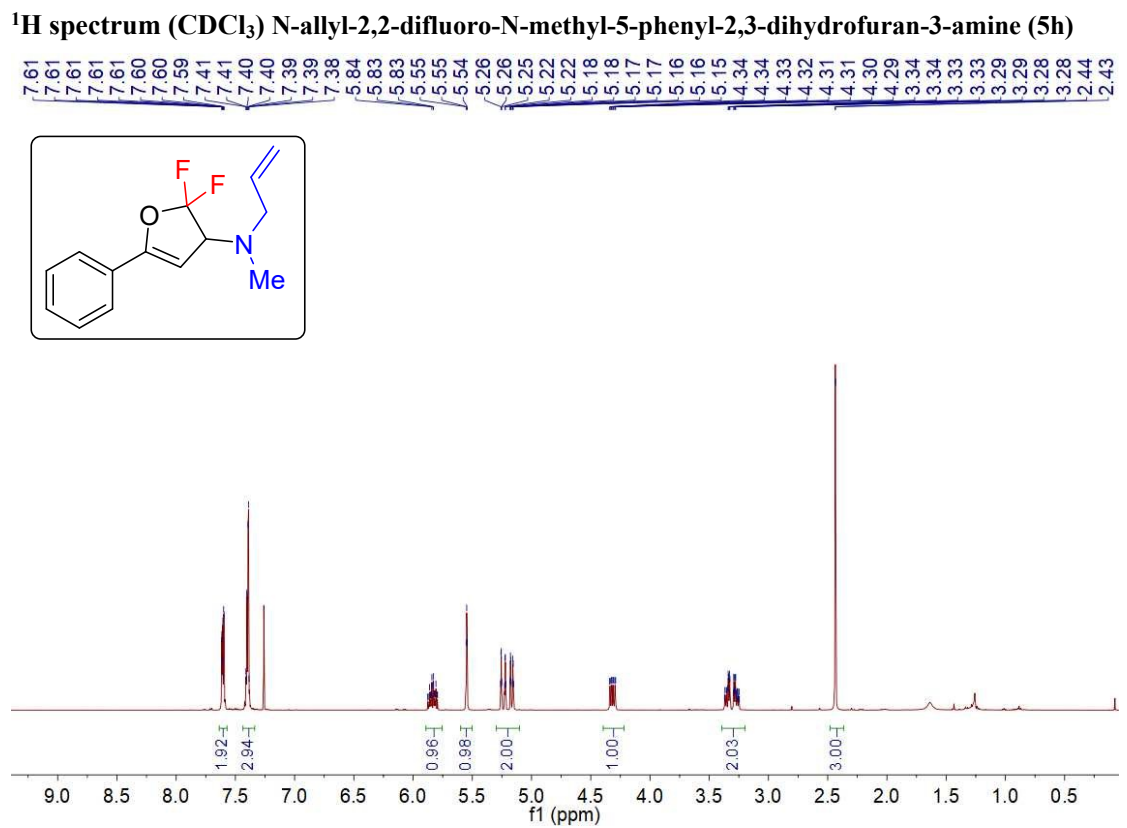
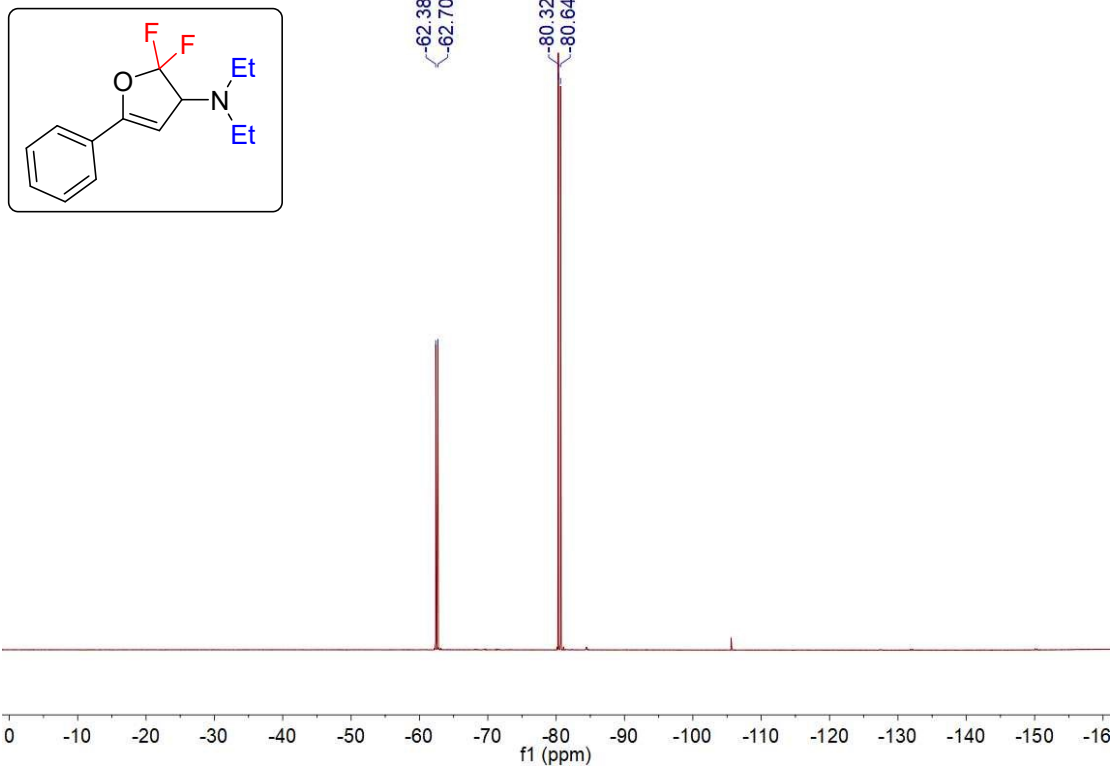
¹H spectrum (CDCl₃) N,N-diethyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5g)

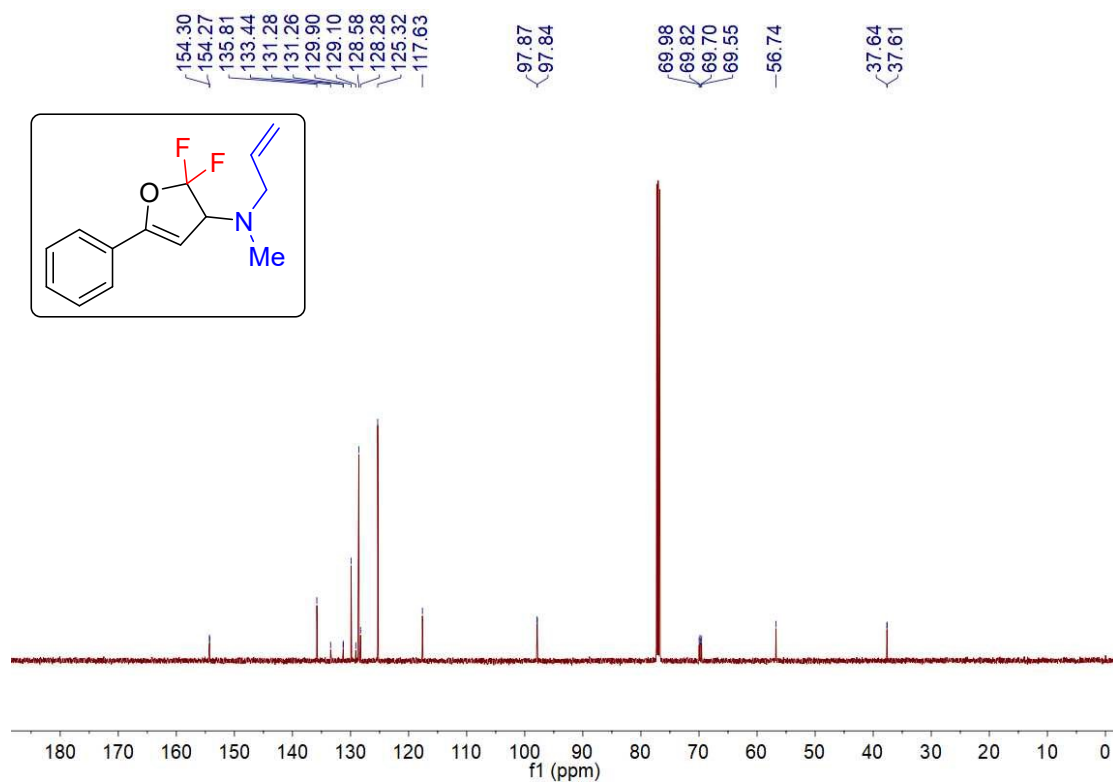


¹³C spectrum (CDCl₃) N,N-diethyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5g)

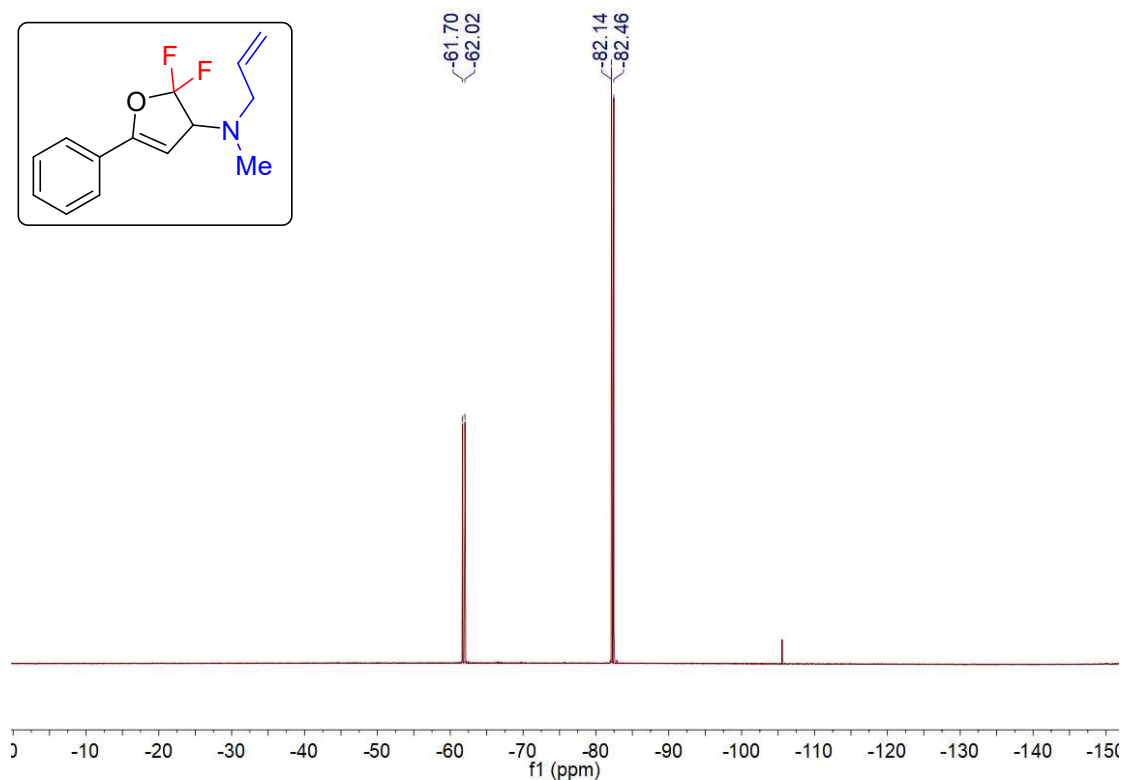


¹⁹F spectrum (CDCl₃) N,N-diethyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5g)



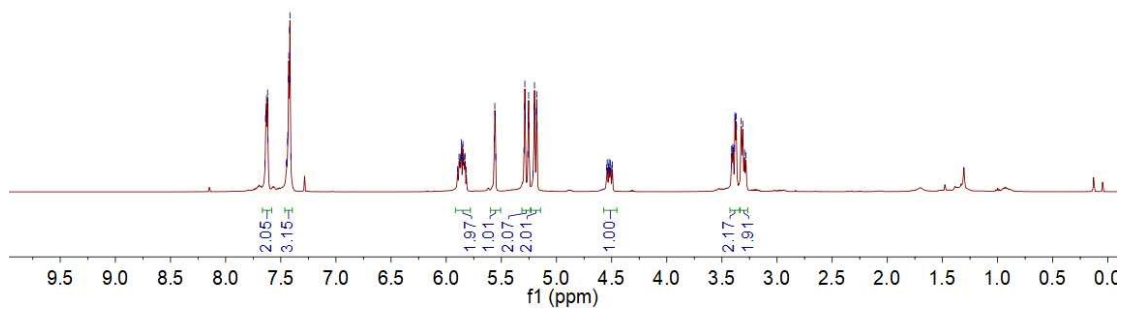
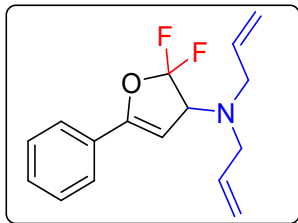


¹⁹F spectrum (CDCl₃) N-allyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5h)



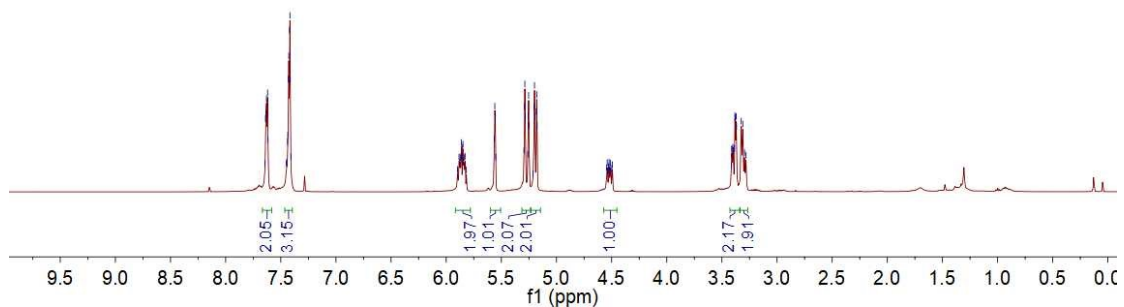
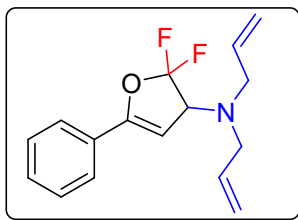
¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5i)

7.64
7.64
7.63
7.62
7.62
7.44
7.44
7.43
7.42
7.42
7.41
7.41
5.88
5.86
5.86
5.85
5.85
5.83
5.56
5.56
5.55
5.55
5.29
5.29
5.29
5.28
5.26
5.25
5.25
5.20
5.20
5.20
5.18
5.18
5.18
3.41
3.41
3.40
3.40
3.39
3.38
3.38
3.37
3.37
3.32
3.31
3.30
3.28

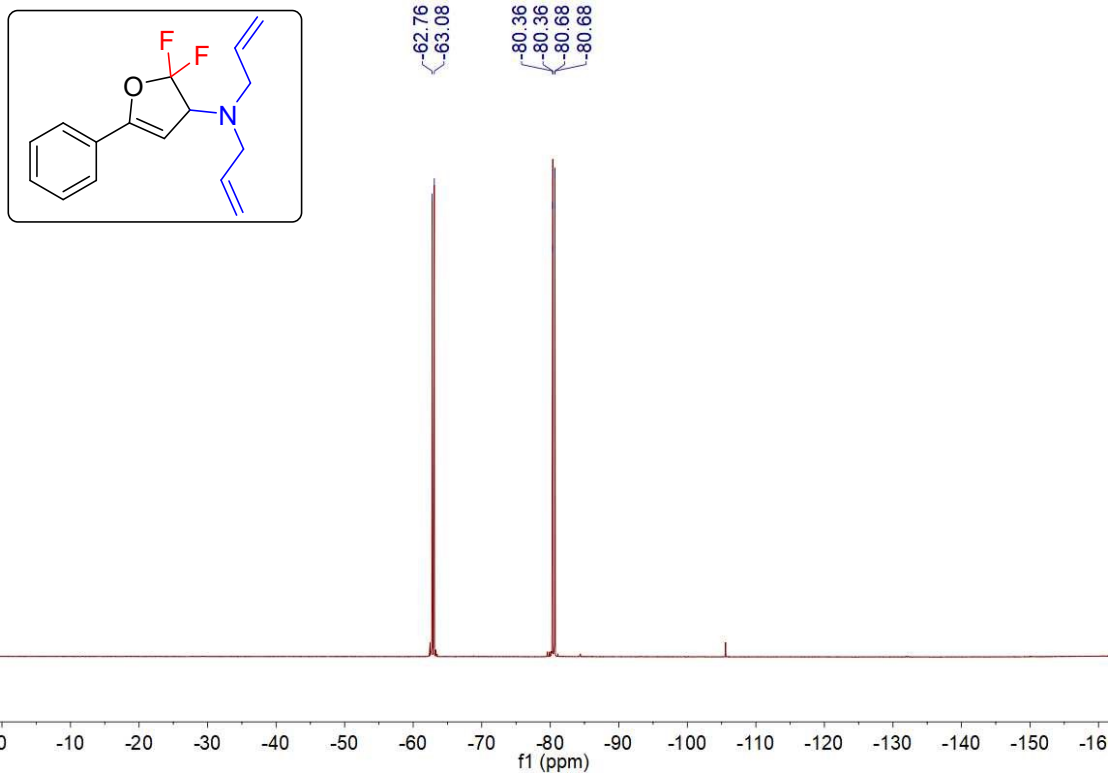


¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5i)

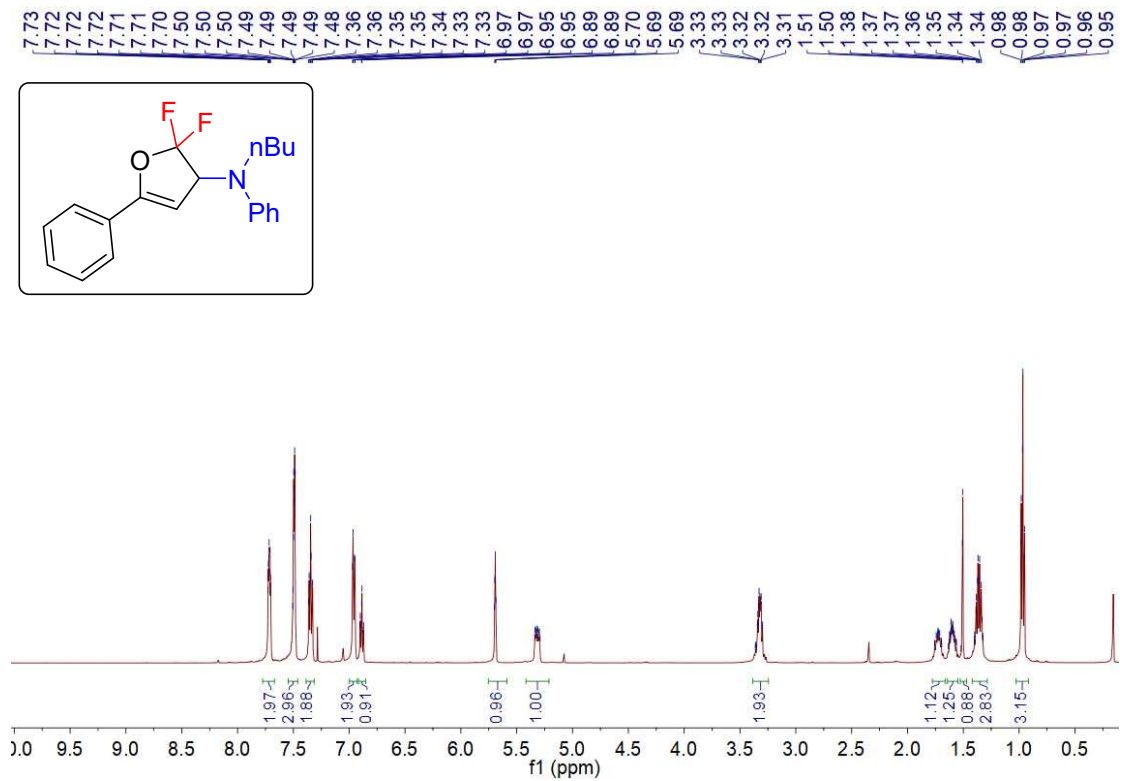
7.64
7.64
7.63
7.62
7.62
7.44
7.44
7.43
7.42
7.42
7.41
7.41
5.88
5.86
5.86
5.85
5.85
5.83
5.56
5.56
5.55
5.55
5.29
5.29
5.29
5.28
5.26
5.25
5.25
5.20
5.20
5.20
5.18
5.18
5.18
3.41
3.41
3.40
3.40
3.39
3.38
3.38
3.37
3.37
3.32
3.31
3.30
3.28



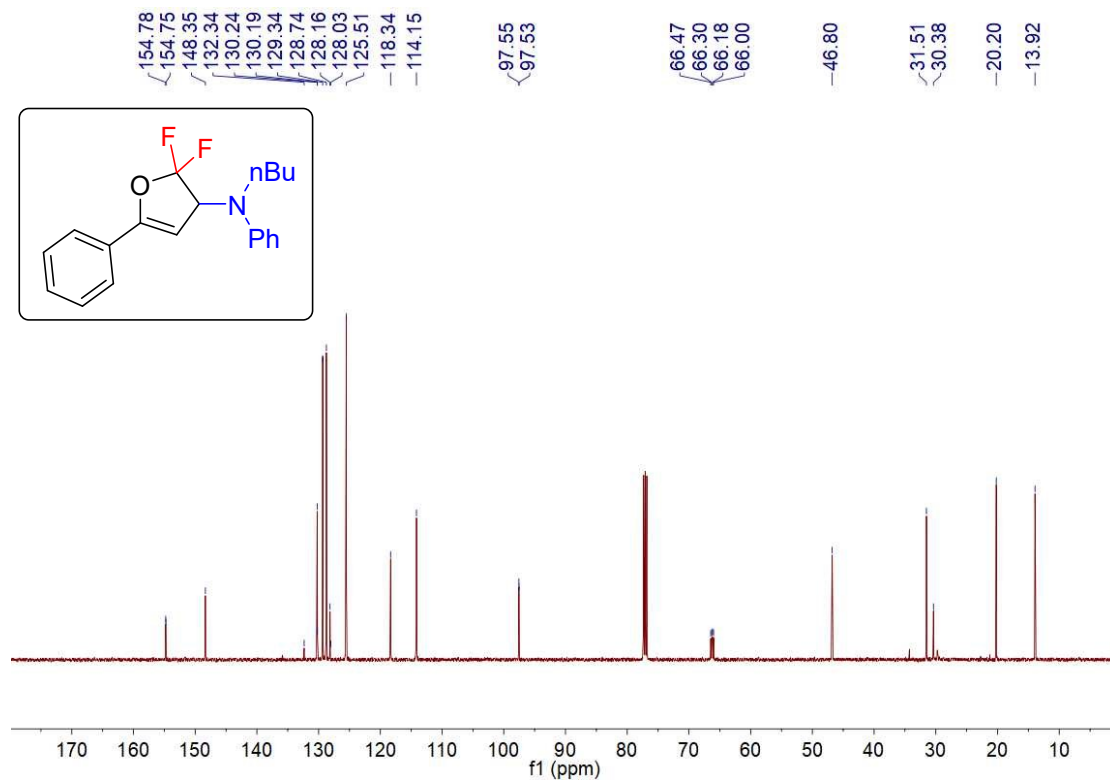
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5i)



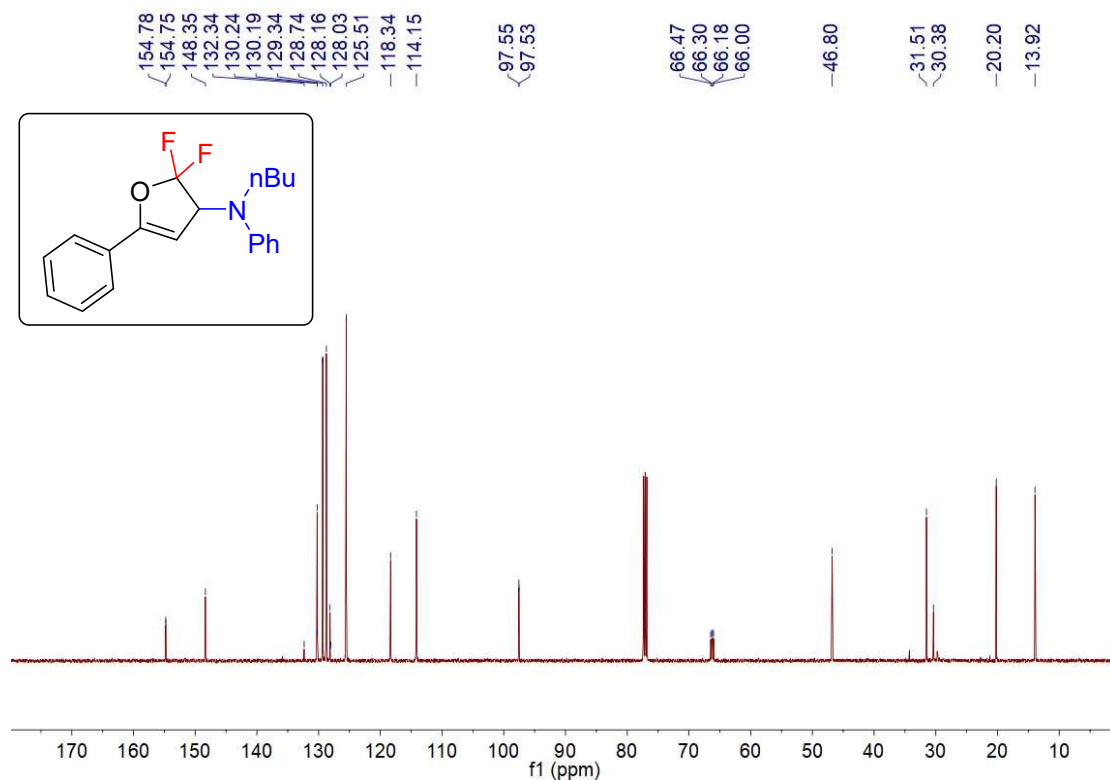
¹H spectrum (CDCl₃) N-butyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5j)



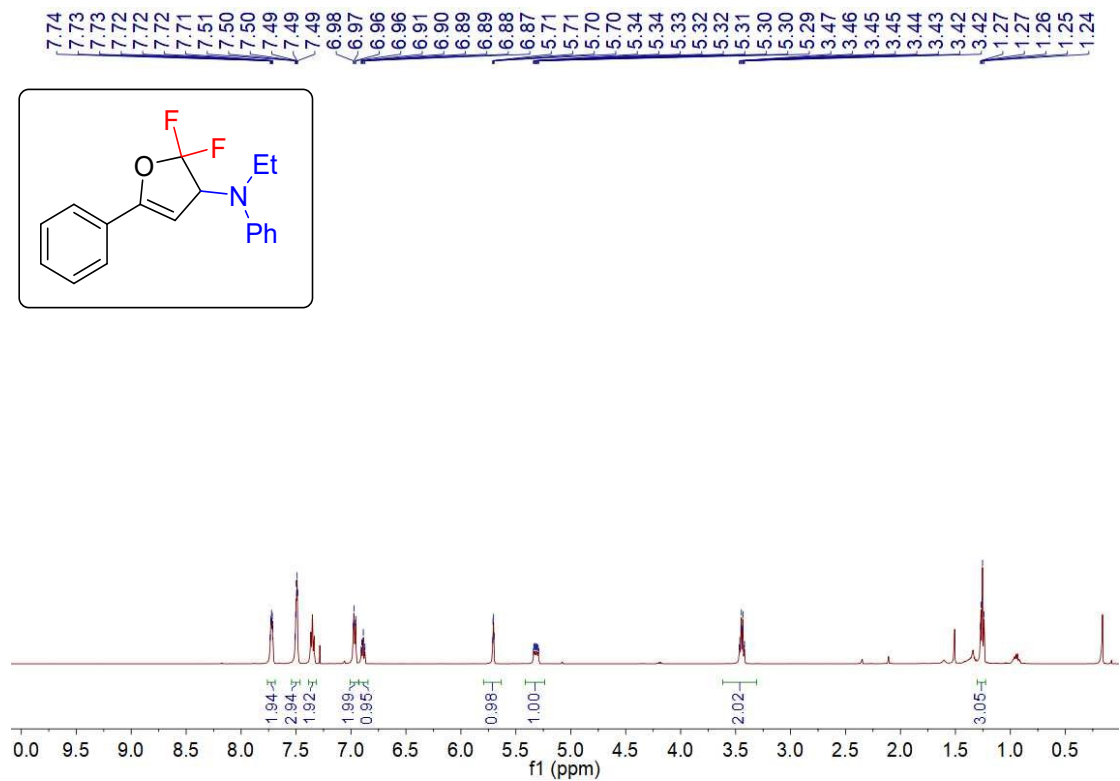
¹³C spectrum (CDCl₃) N-butyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5j)



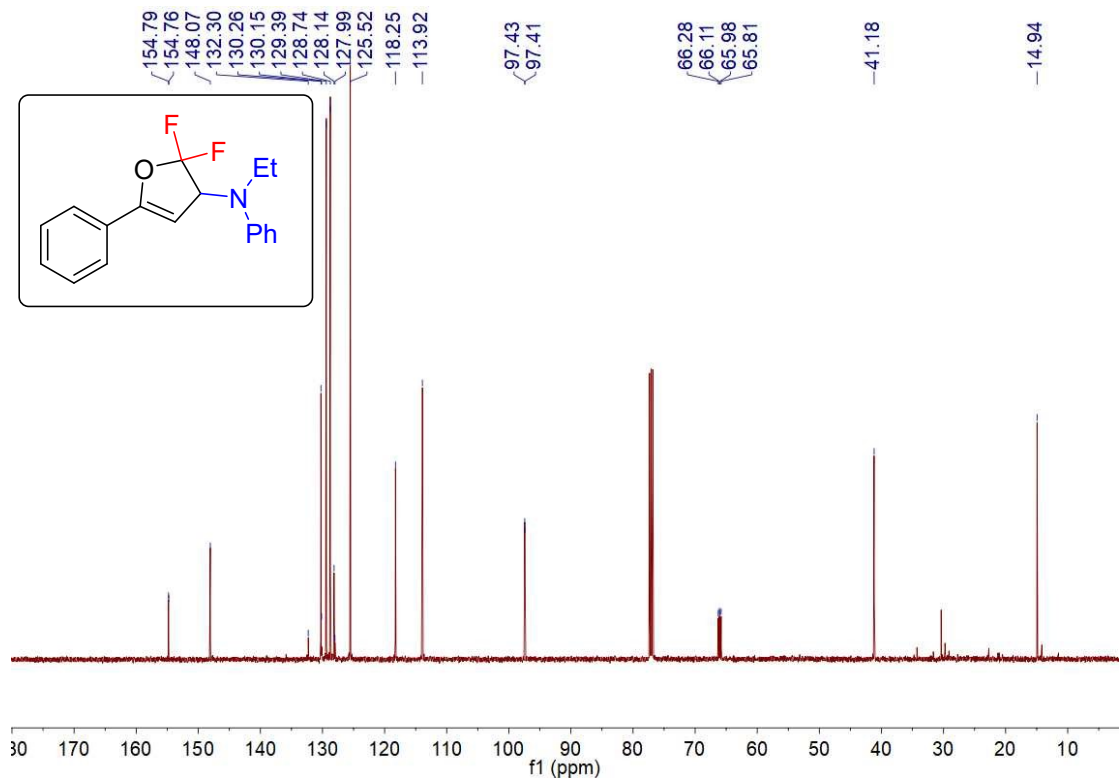
¹⁹F spectrum (CDCl₃) N-butyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5j)

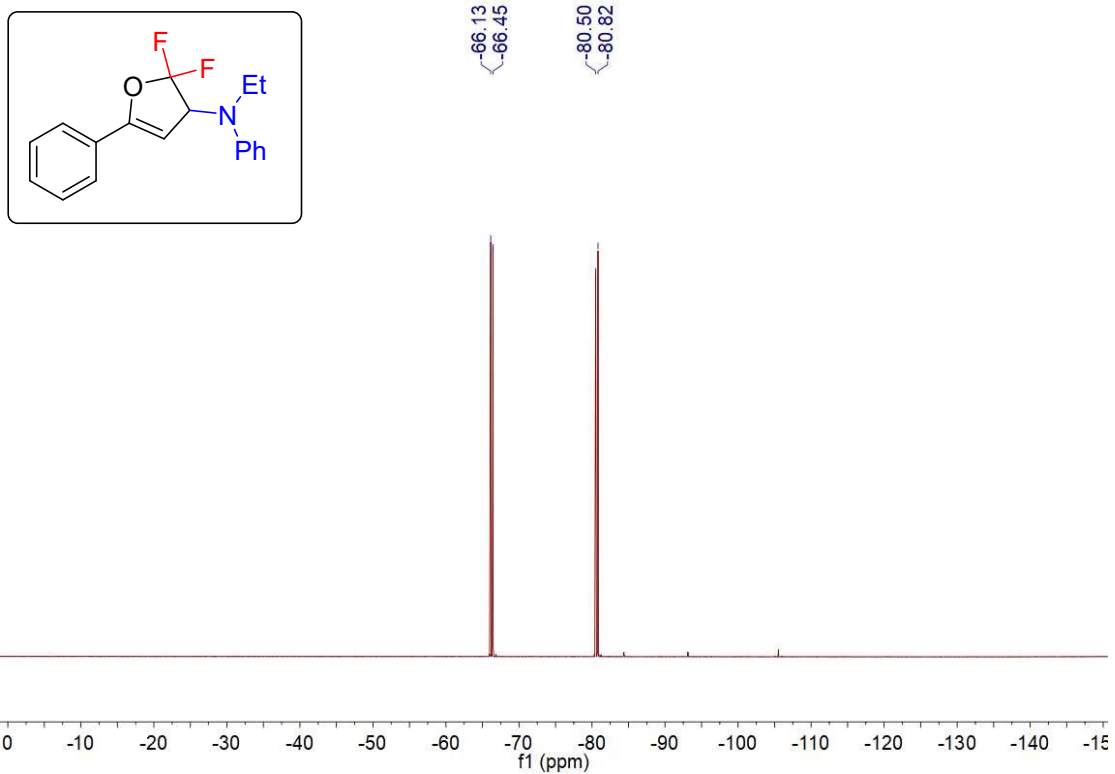


¹H spectrum (CDCl₃) N-ethyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5k)

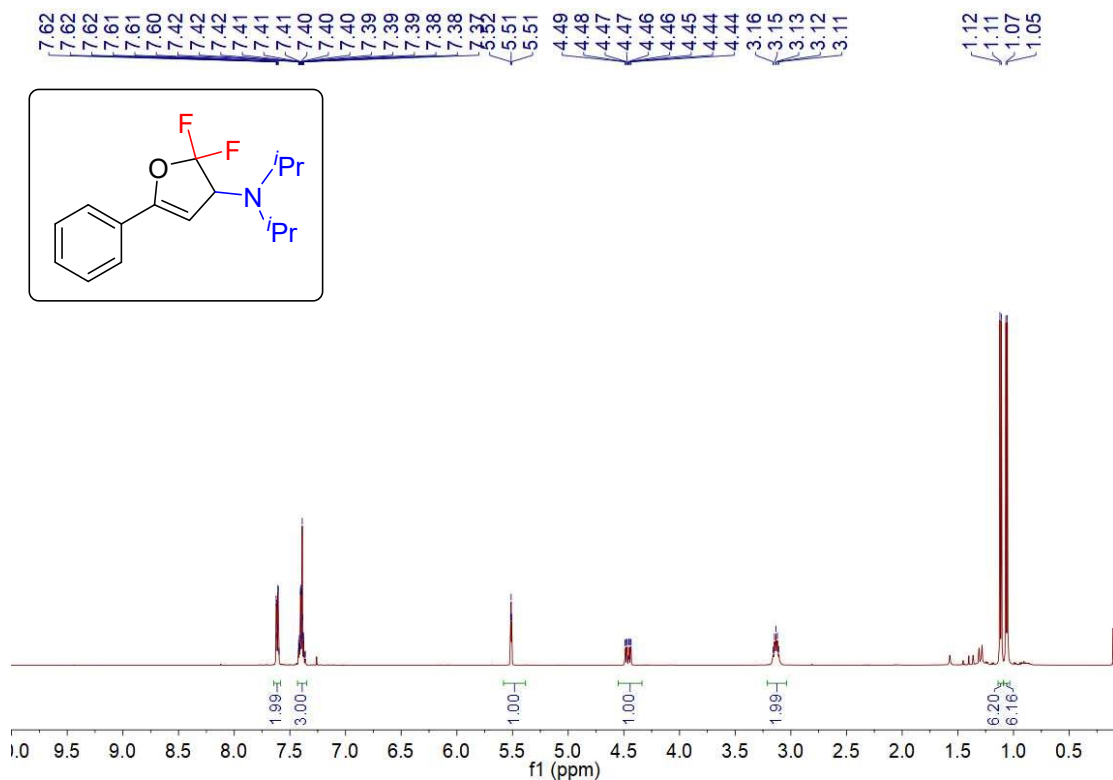


¹³C spectrum (CDCl₃) N-ethyl-2,2-difluoro-N,5-diphenyl-2,3-dihydrofuran-3-amine (5k)

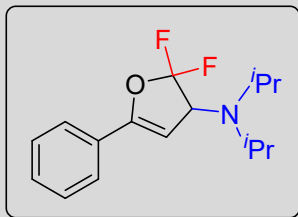




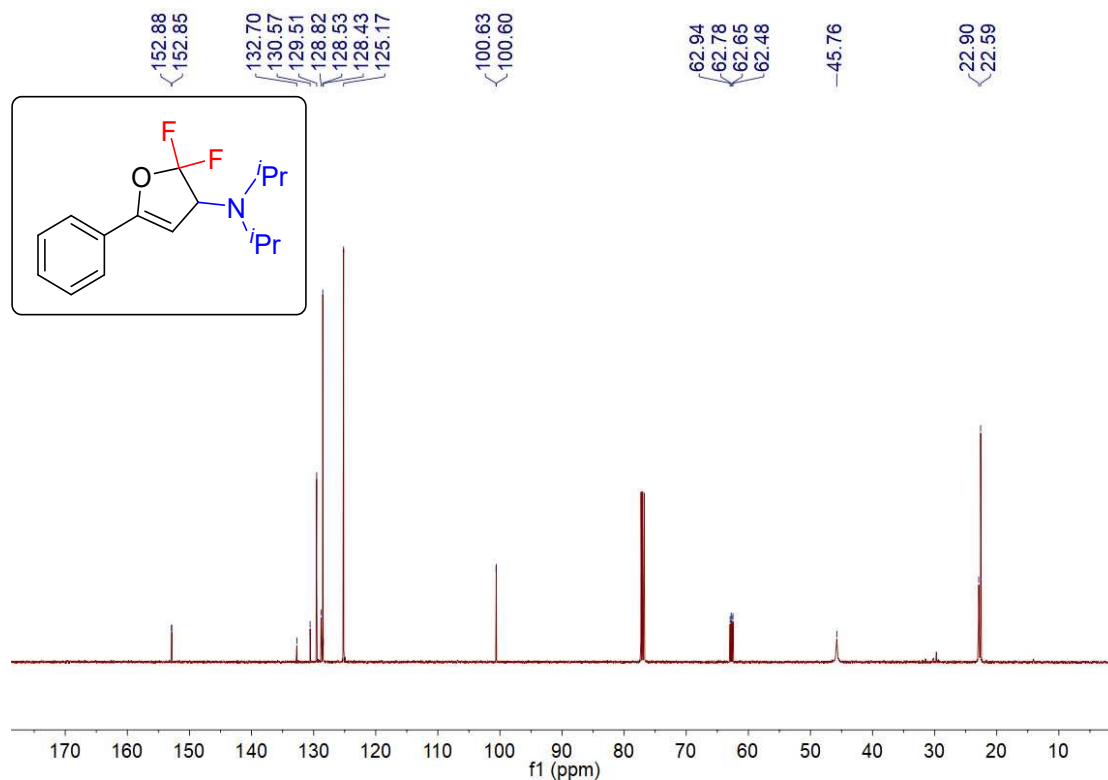
^1H spectrum (CDCl₃) 2,2-difluoro-N,N-diisopropyl-5-phenyl-2,3-dihydrofuran-3-amine (5I)



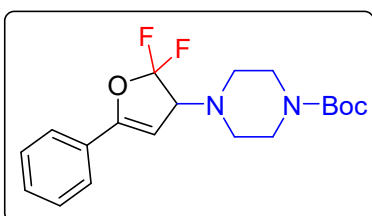
^{13}C spectrum (CDCl₃) 2,2-difluoro-N,N-diisopropyl-5-phenyl-2,3-dihydrofuran-3-amine (5I)

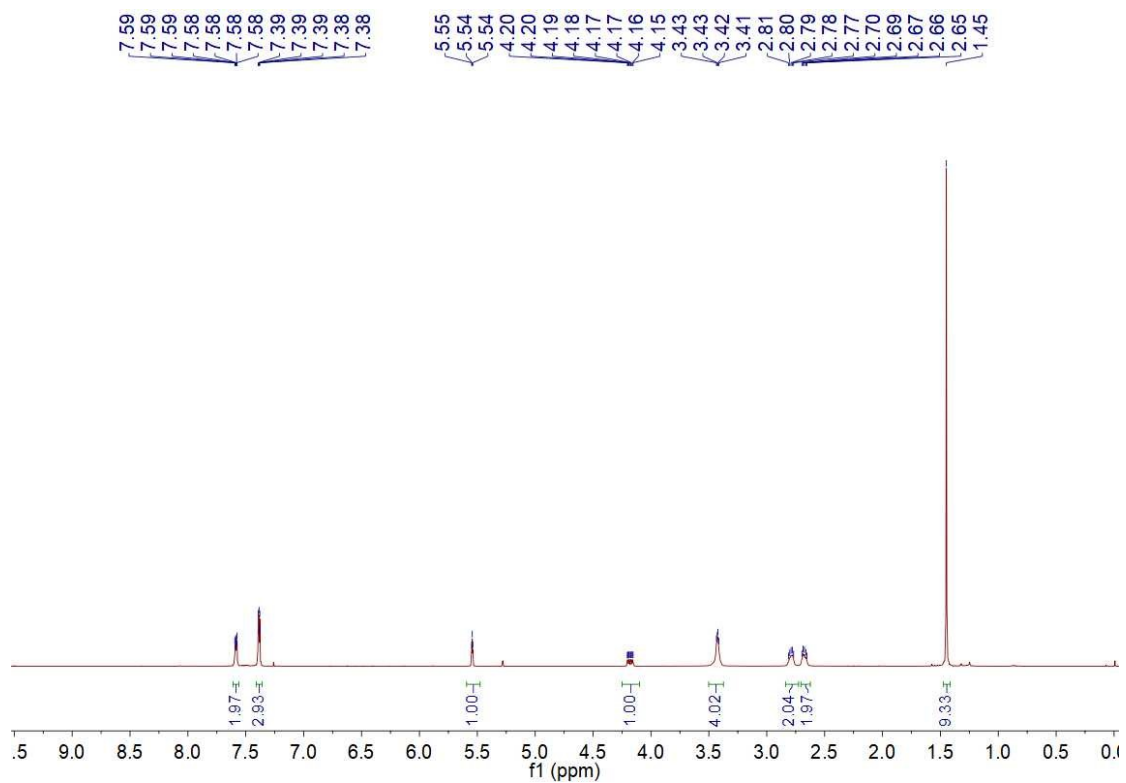


^{19}F spectrum (CDCl_3) 2,2-difluoro-N,N-diisopropyl-5-phenyl-2,3-dihydrofuran-3-amine (5l)

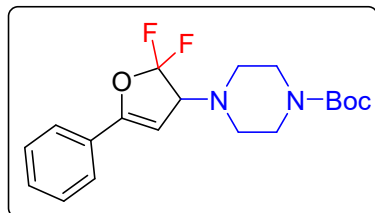
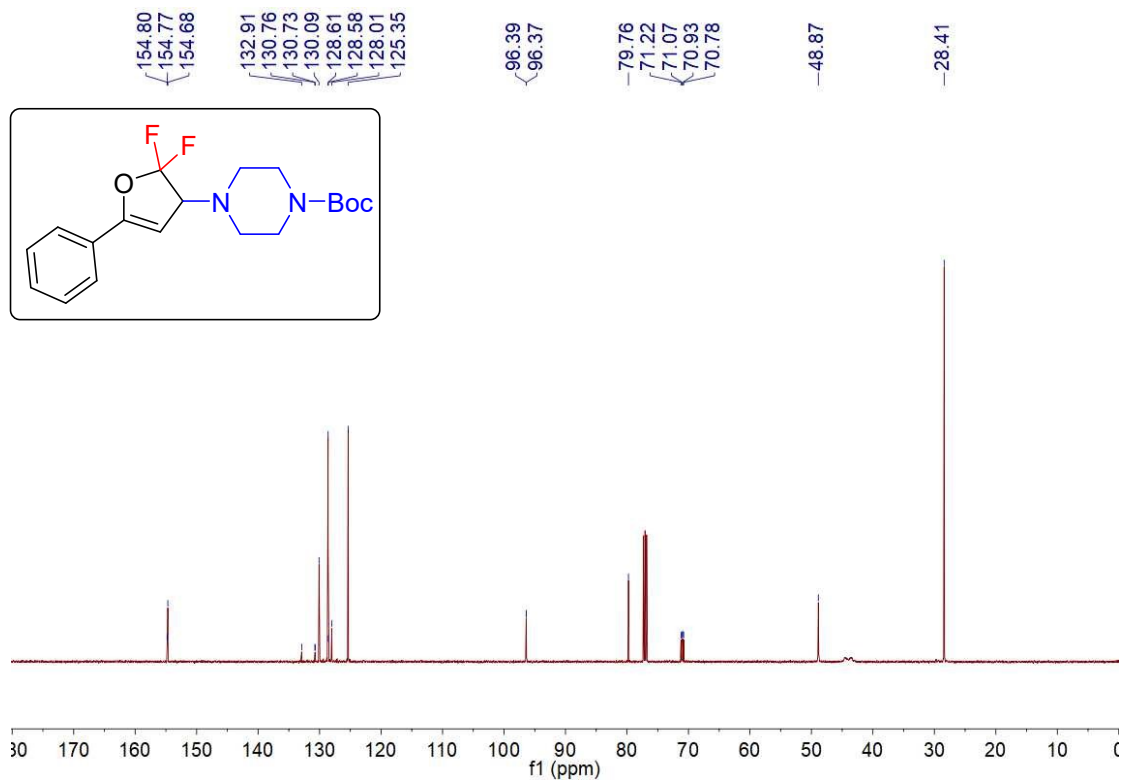


^1H spectrum (CDCl_3) tert-butyl 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5m)

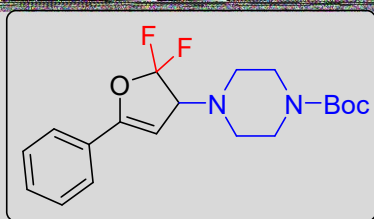




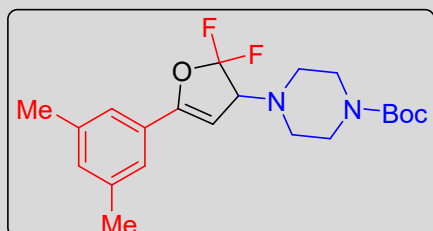
¹³C spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5m)



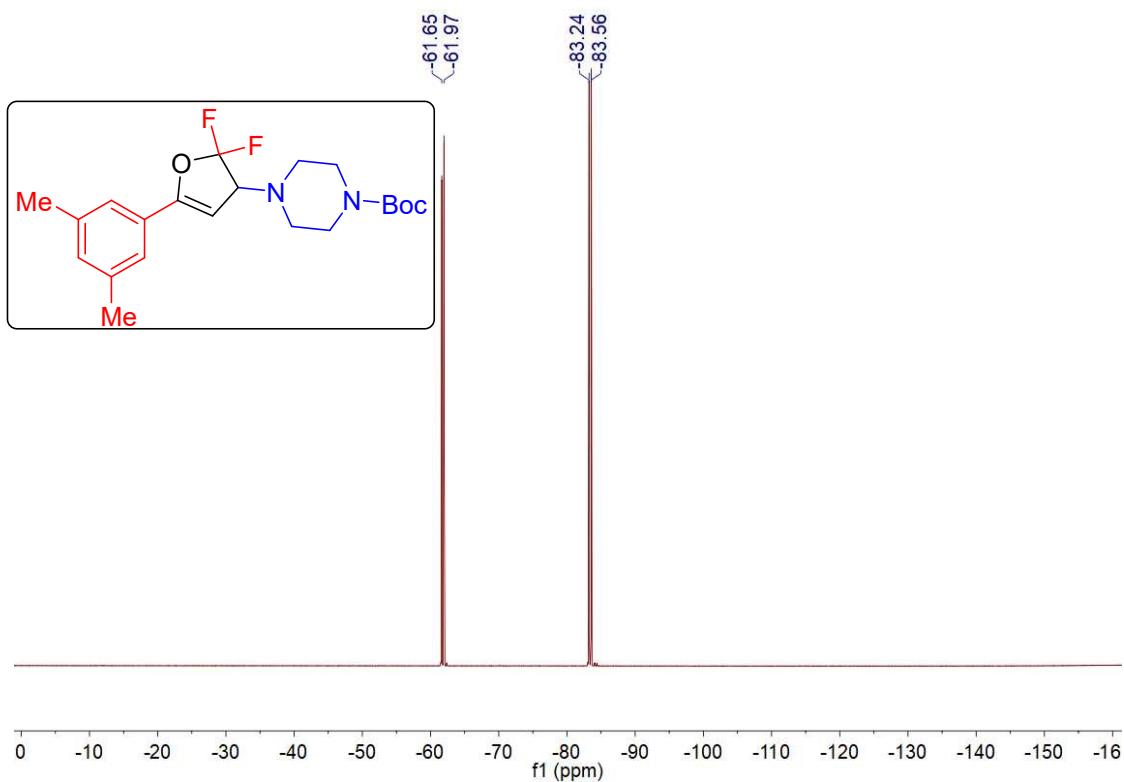
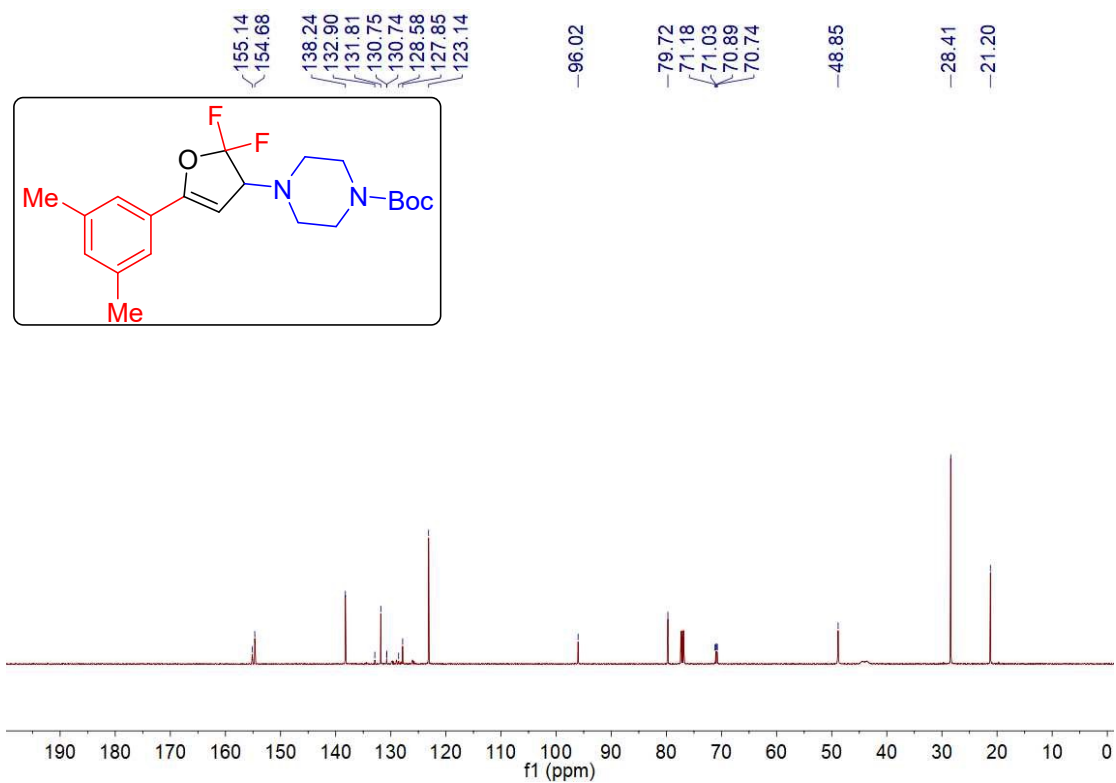
¹⁹F spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5m)

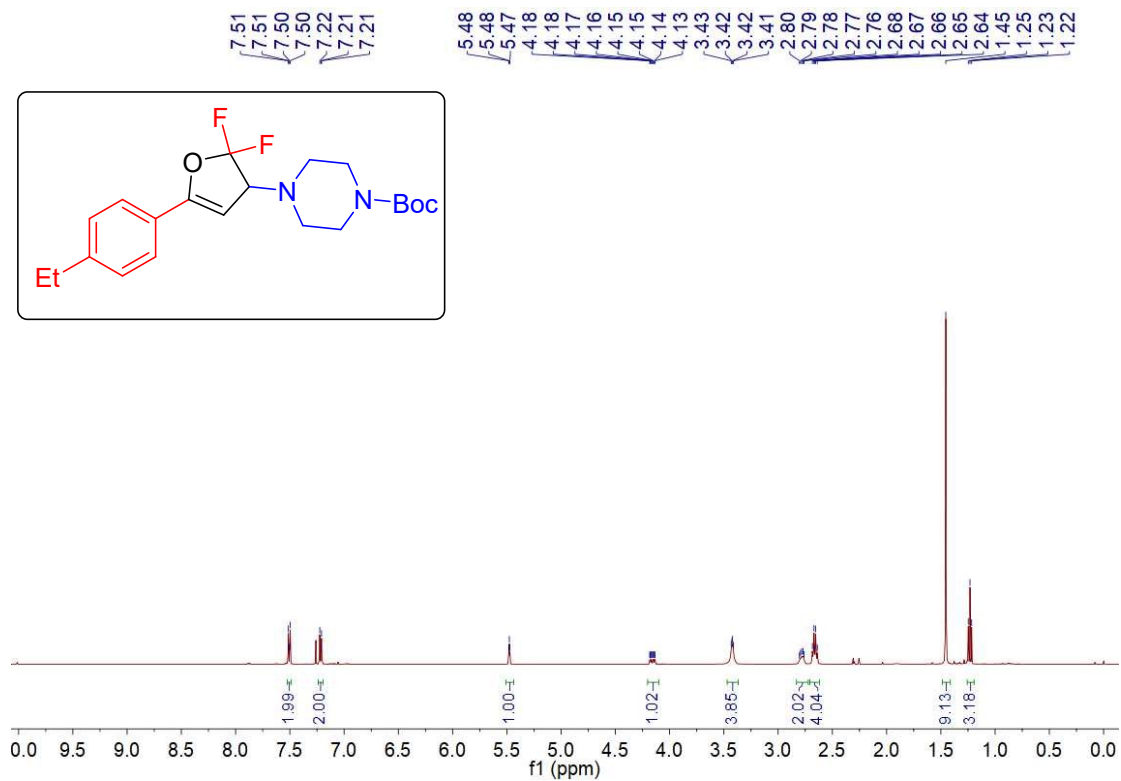


¹H spectrum (CDCl₃) tert-butyl 4-(5-(3,5-dimethylphenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5n)

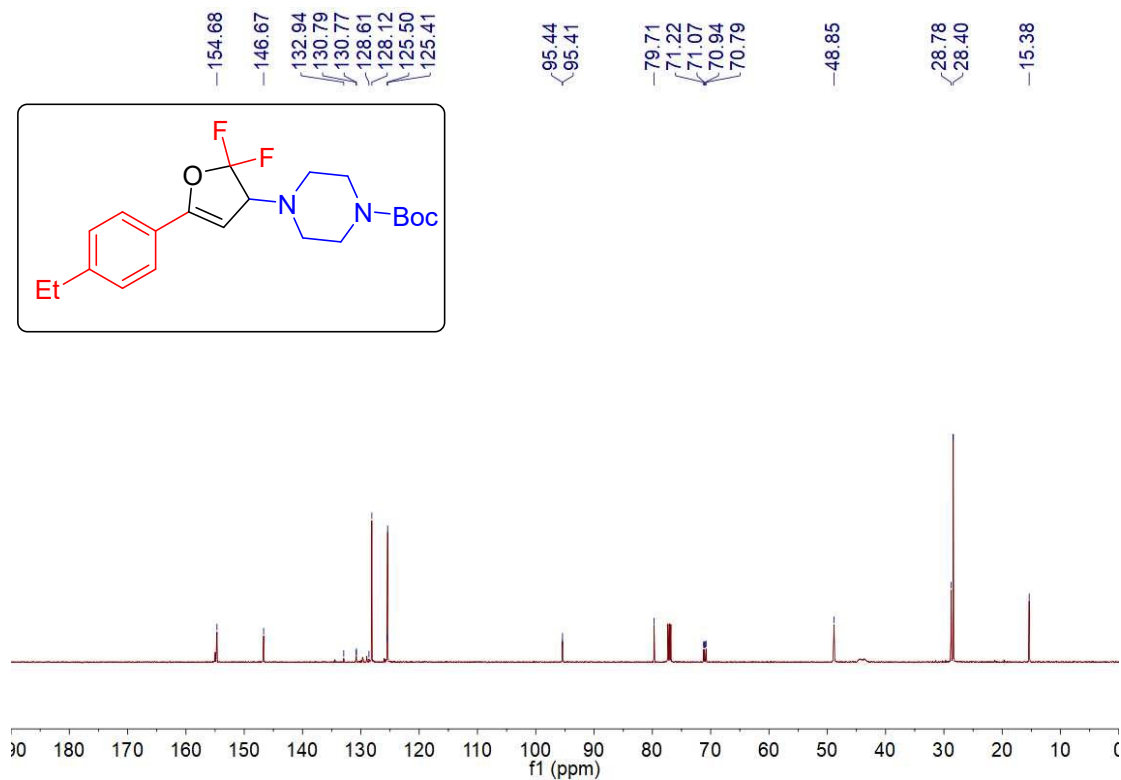


¹³C spectrum (CDCl₃) tert-butyl 4-(5-(3,5-dimethylphenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5n)

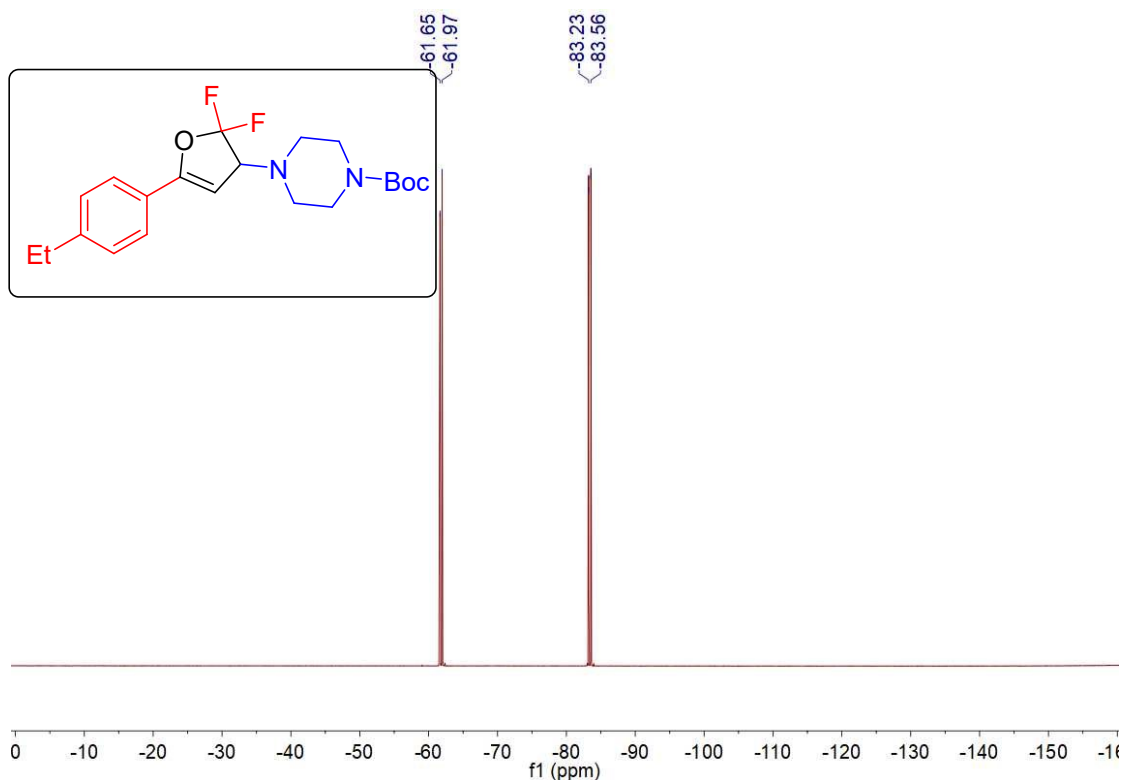




¹³C spectrum (CDCl₃) tert-butyl 4-(5-(4-ethylphenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5o)

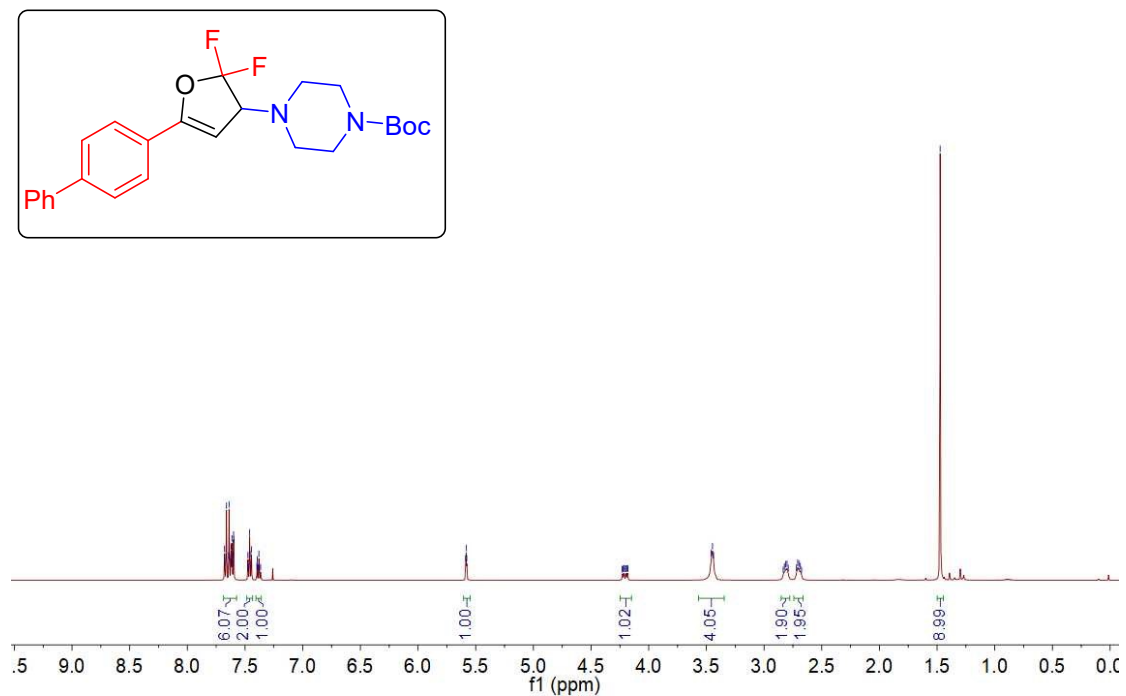


¹⁹F spectrum (CDCl₃) tert-butyl 4-(5-(4-ethylphenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5o)

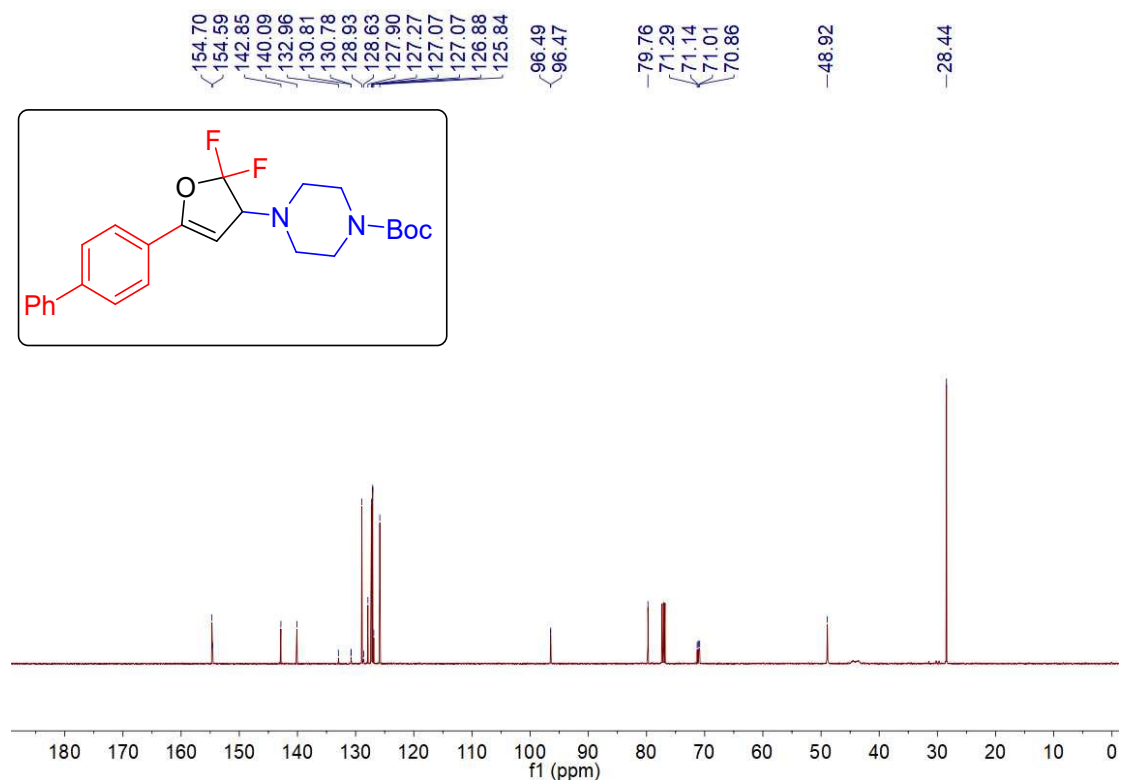


¹H spectrum (CDCl₃) tert-butyl 4-(5-((1,1'-biphenyl)-4-yl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5p)

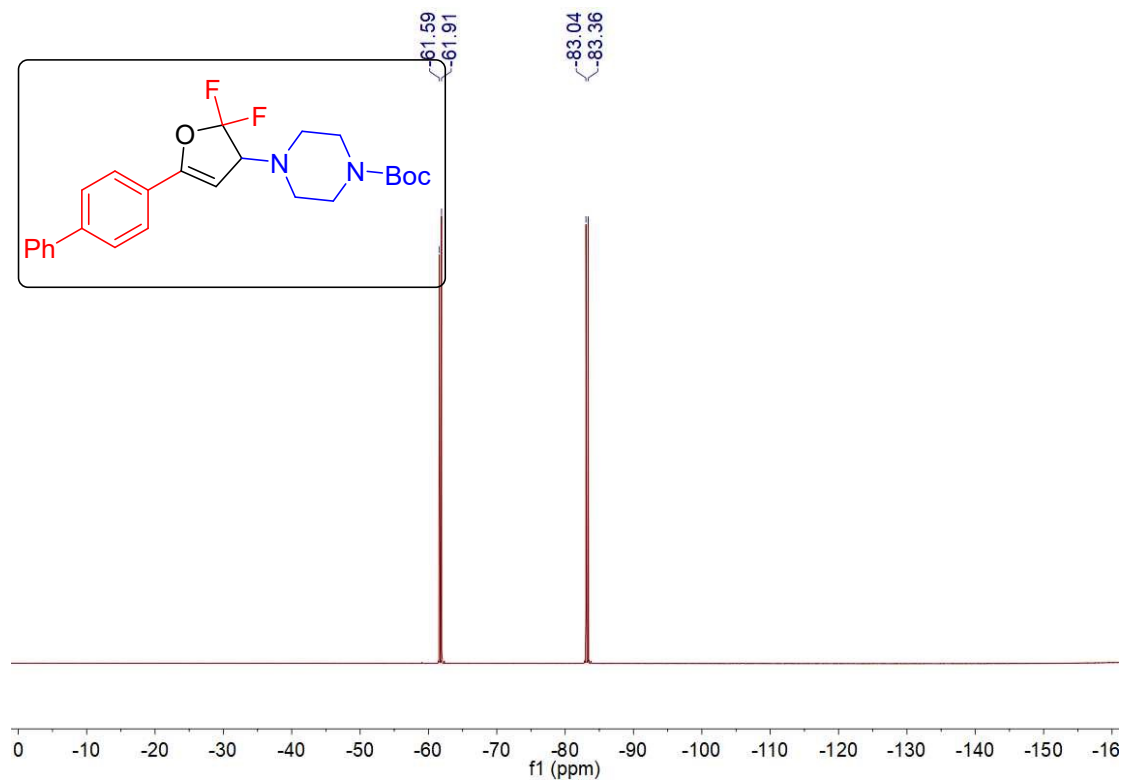
7.68
7.67
7.67
7.66
7.64
7.64
7.63
7.62
7.62
7.61
7.61
7.60
7.60
7.60
7.48
7.47
7.47
7.46
7.46
7.45
7.44
7.40
7.39
7.39
7.38
7.38
7.37
5.59
5.58
5.58
4.22
4.22
4.21
4.20
4.19
4.19
4.18
3.46
3.45
3.44
2.82
2.81
2.80
2.79
2.72
2.71
2.70
2.69
1.47



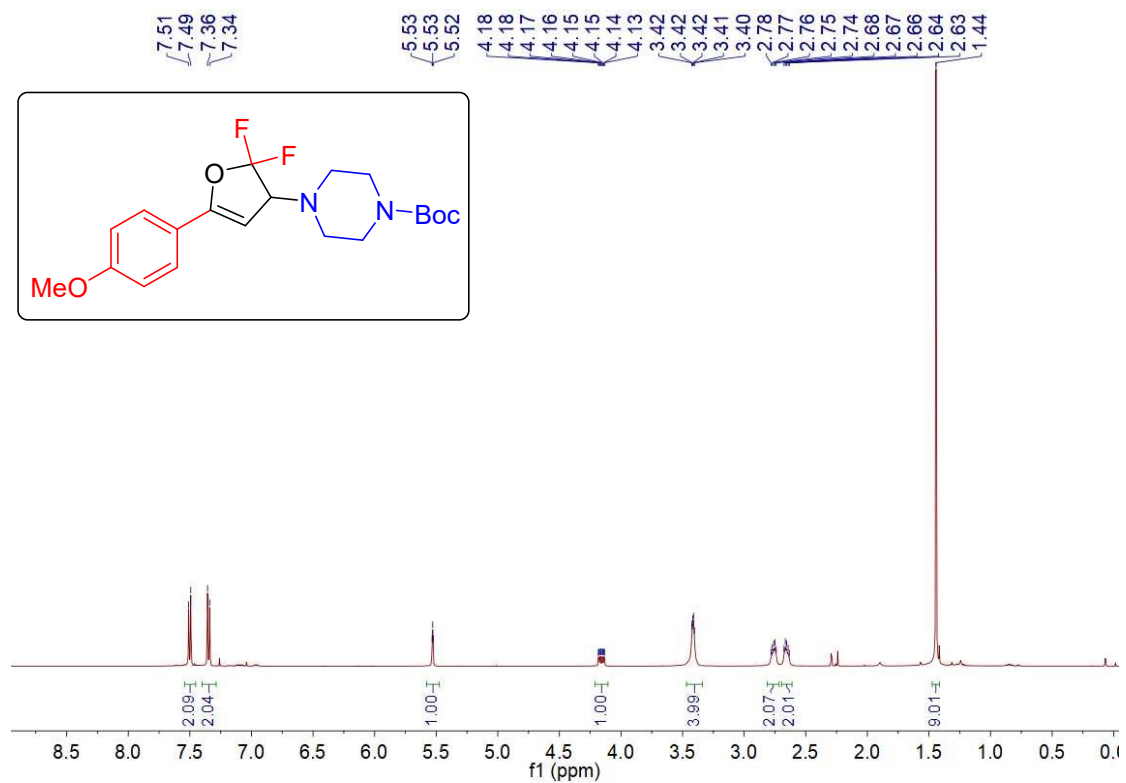
¹³C spectrum (CDCl₃) tert-butyl 4-(5-((1,1'-biphenyl)-4-yl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5p)



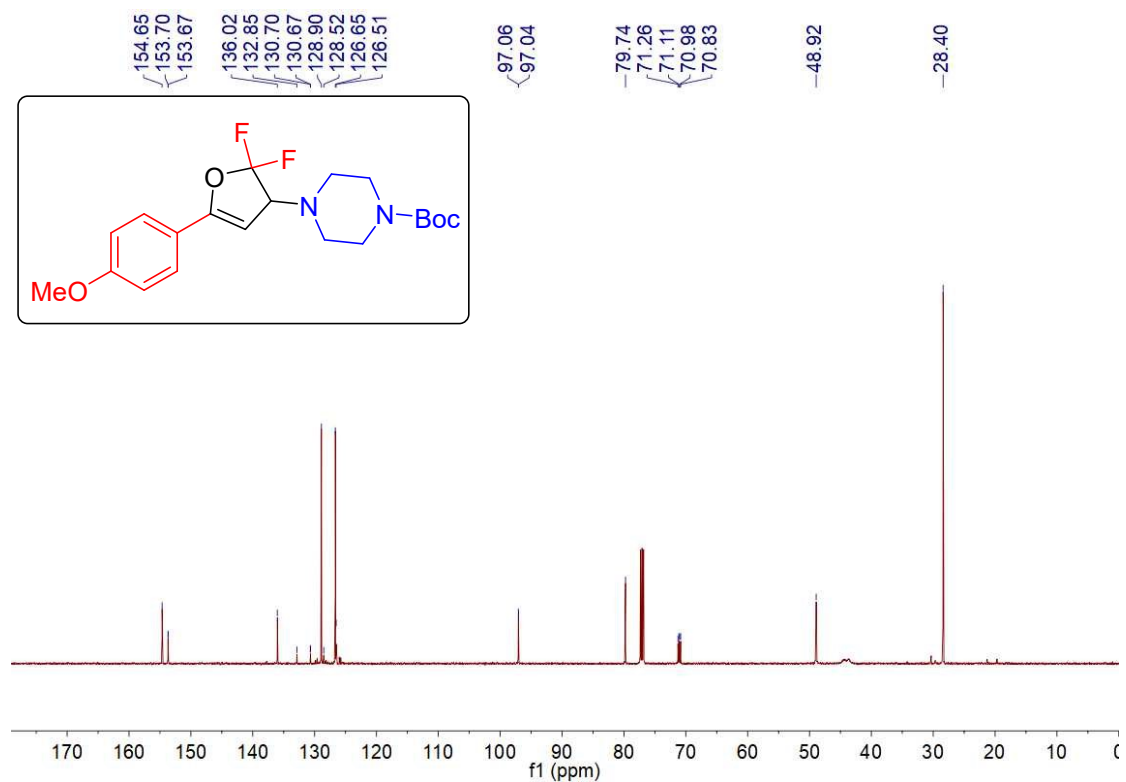
¹⁹F spectrum (CDCl₃) tert-butyl 4-(5-([1,1'-biphenyl]-4-yl)-2,2-difluoro-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5p**)**



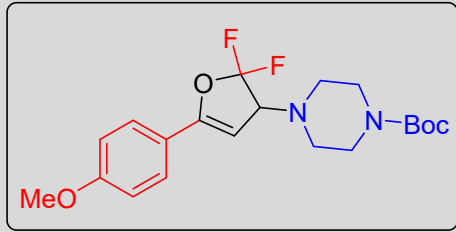
¹³C spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-yl) piperazine-1-carboxylate (5q**)**



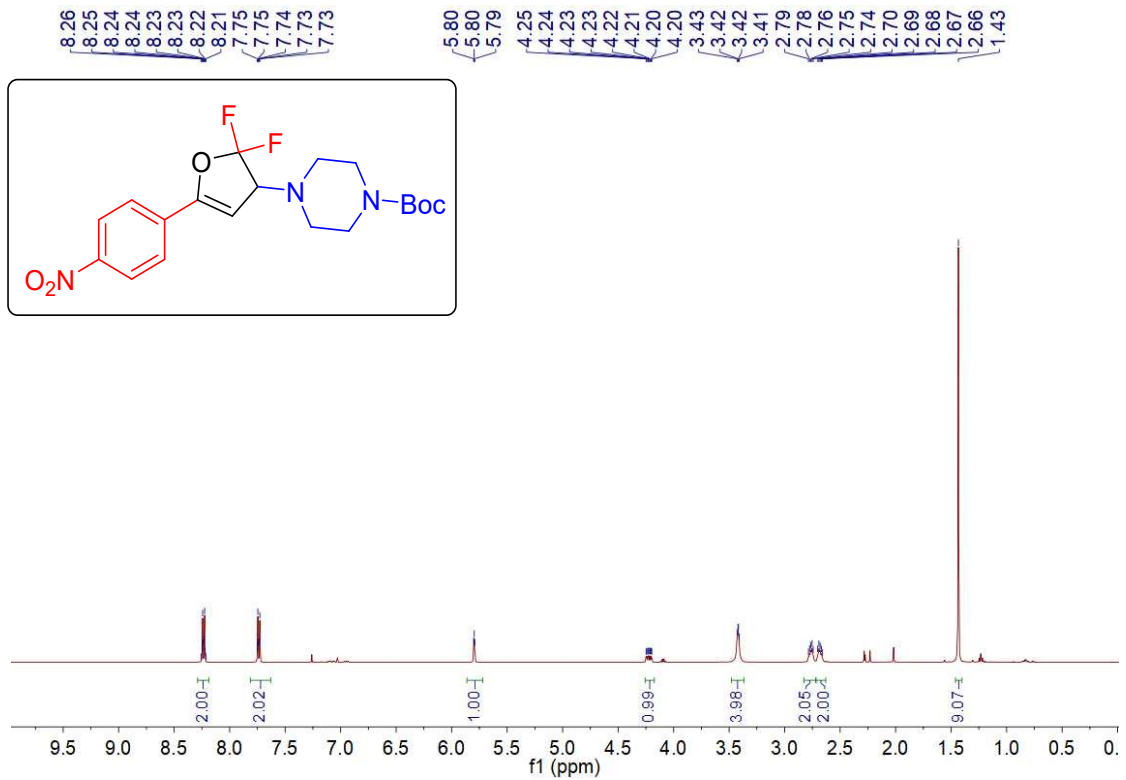
¹³C spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5q)



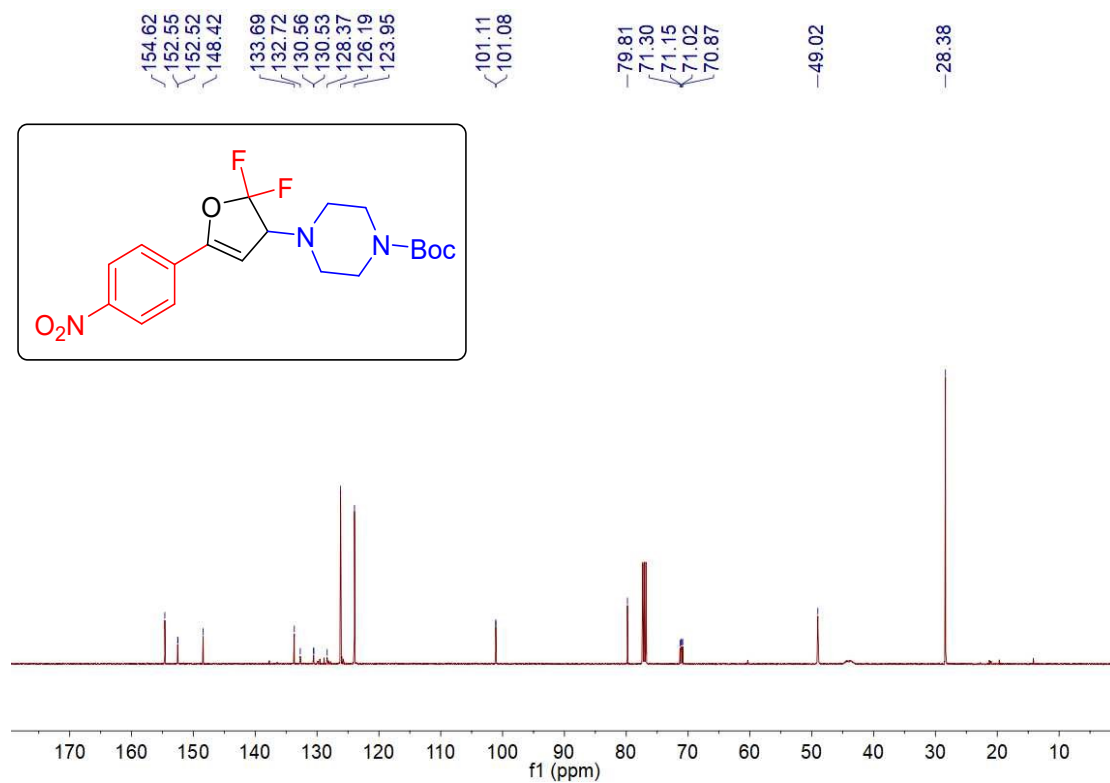
¹⁹F spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5q)



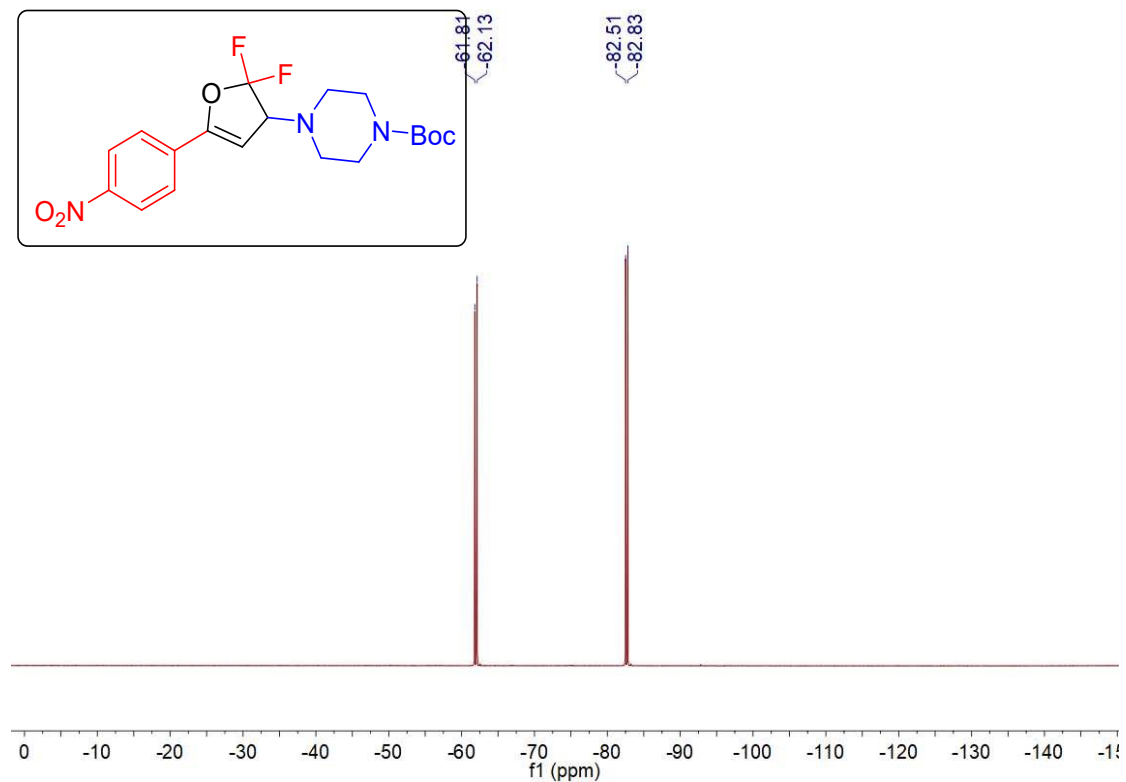
¹H spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-(4-nitrophenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5r)



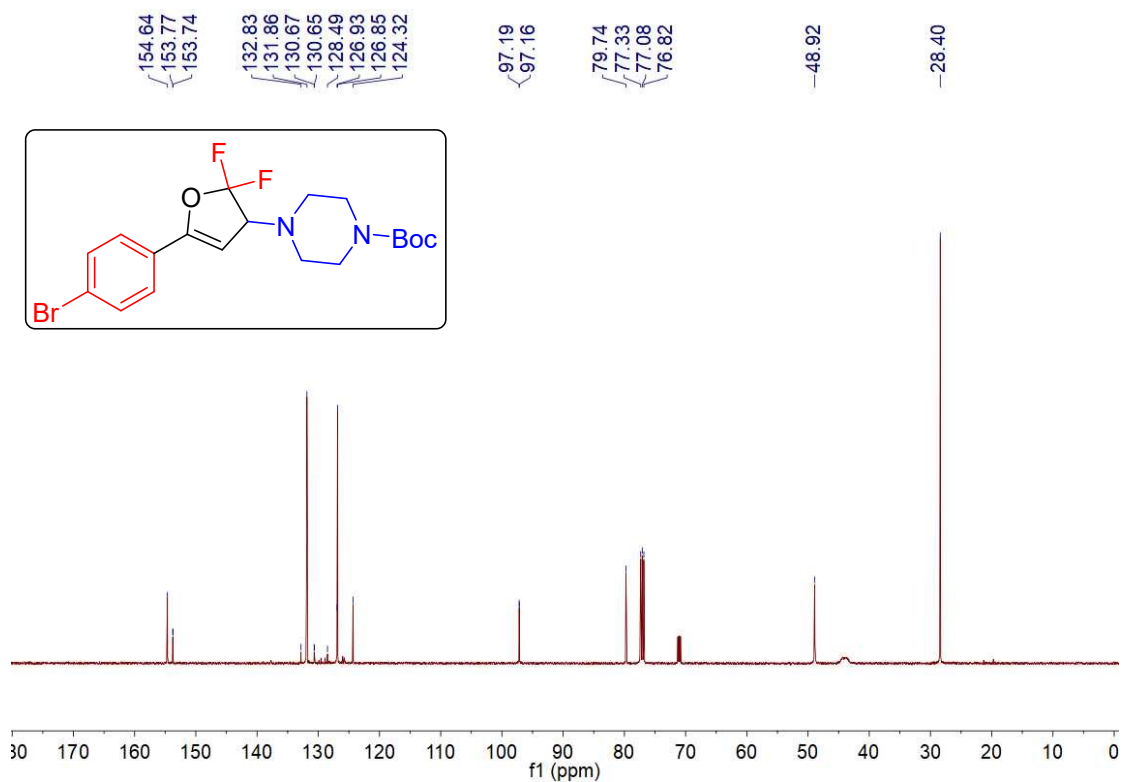
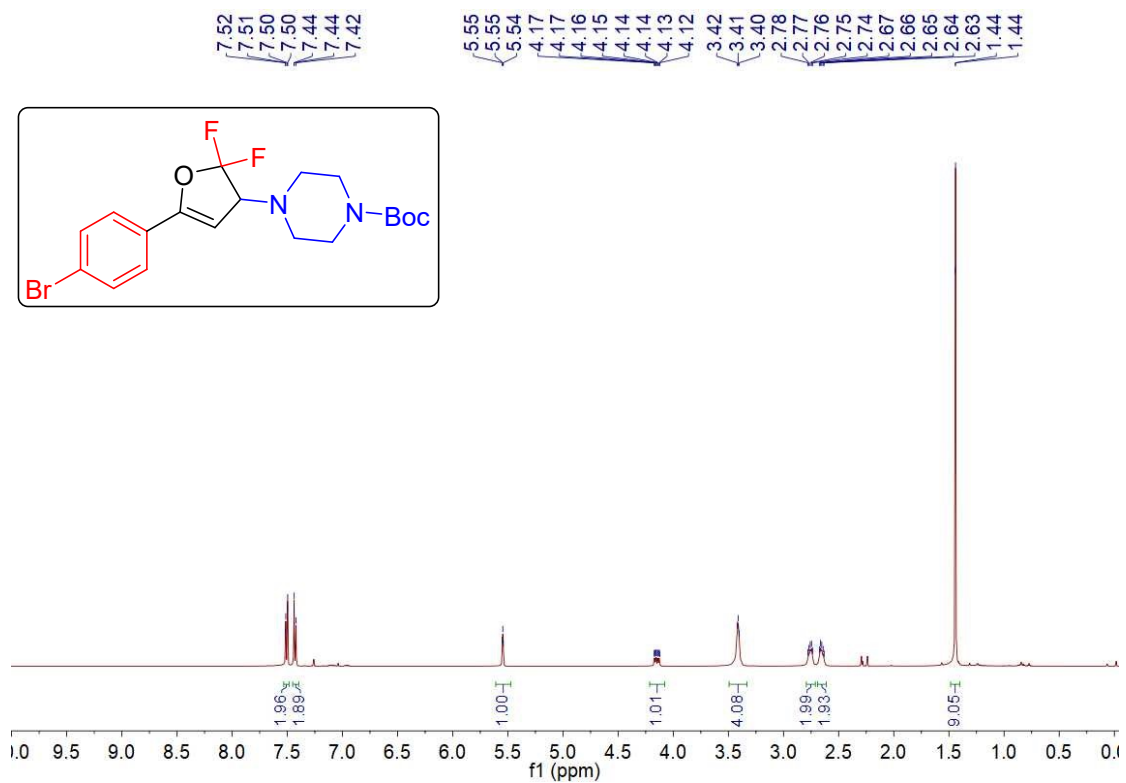
¹³C spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-(4-nitrophenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5r)

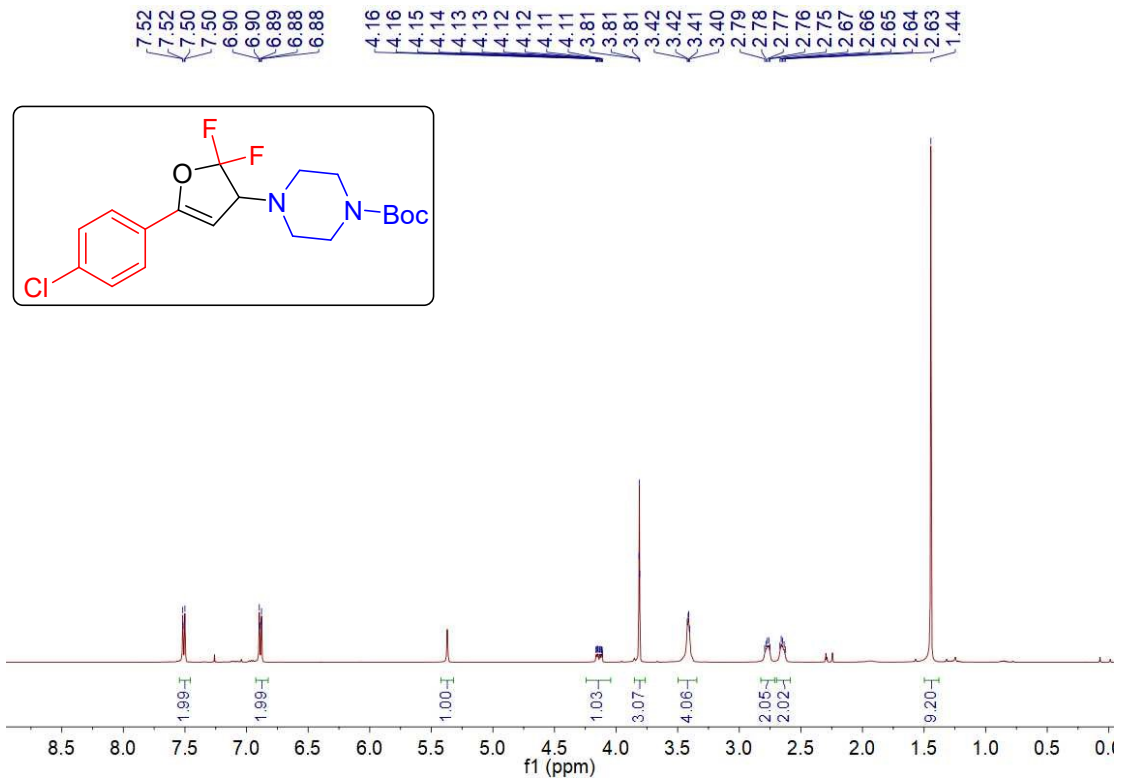
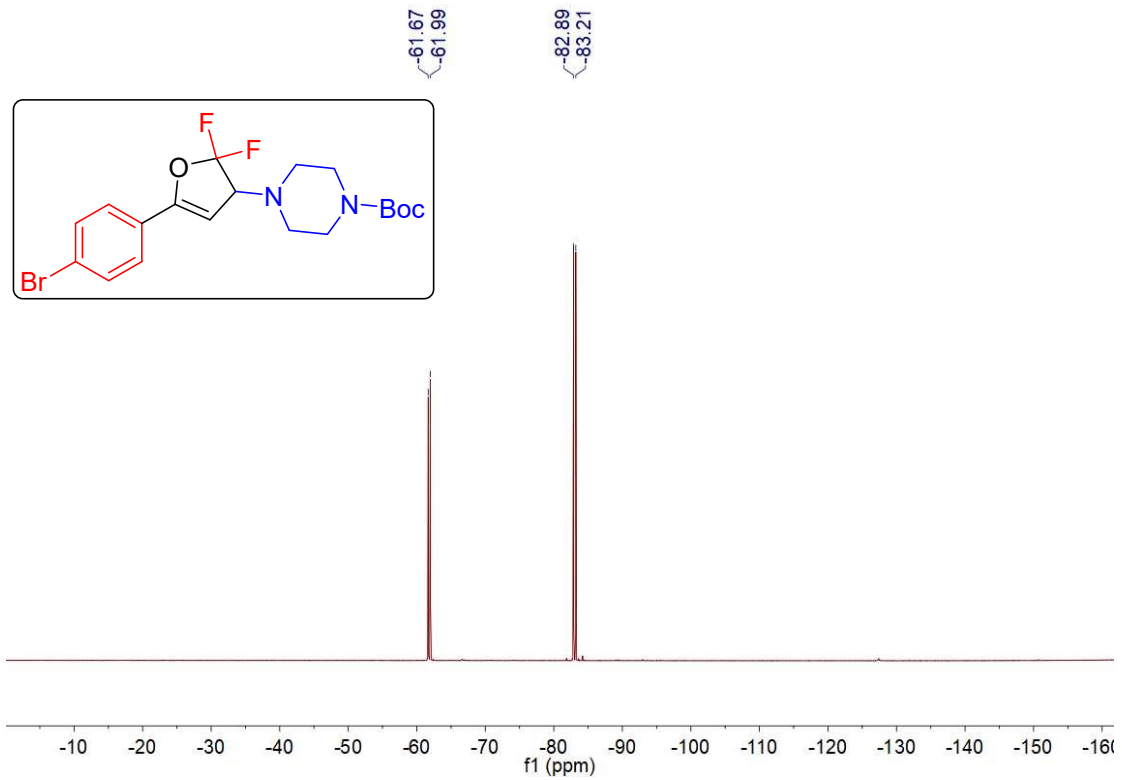


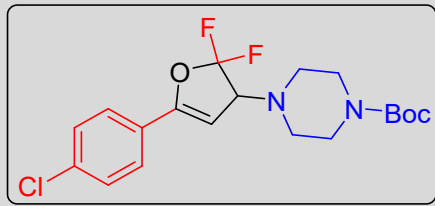
¹⁹F spectrum (CDCl₃) tert-butyl 4-(2,2-difluoro-5-(4-nitrophenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (**5r**)



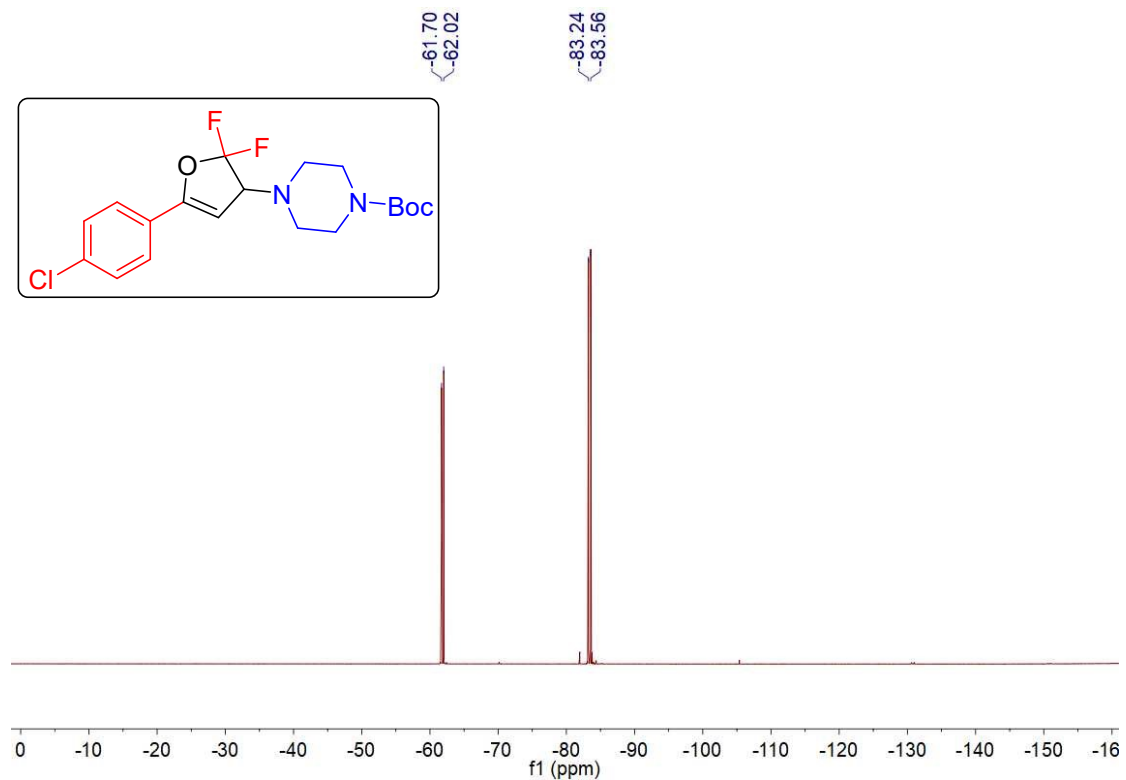
¹H spectrum (CDCl₃) tert-butyl 4-(5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (**5s**)



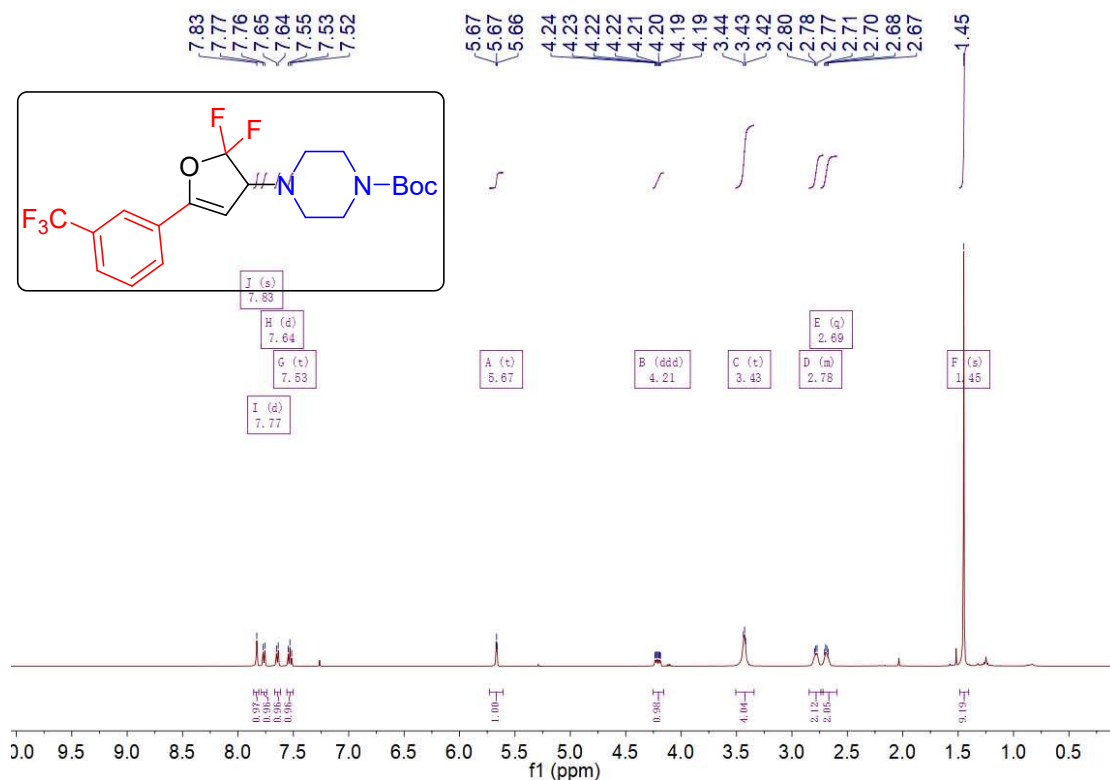




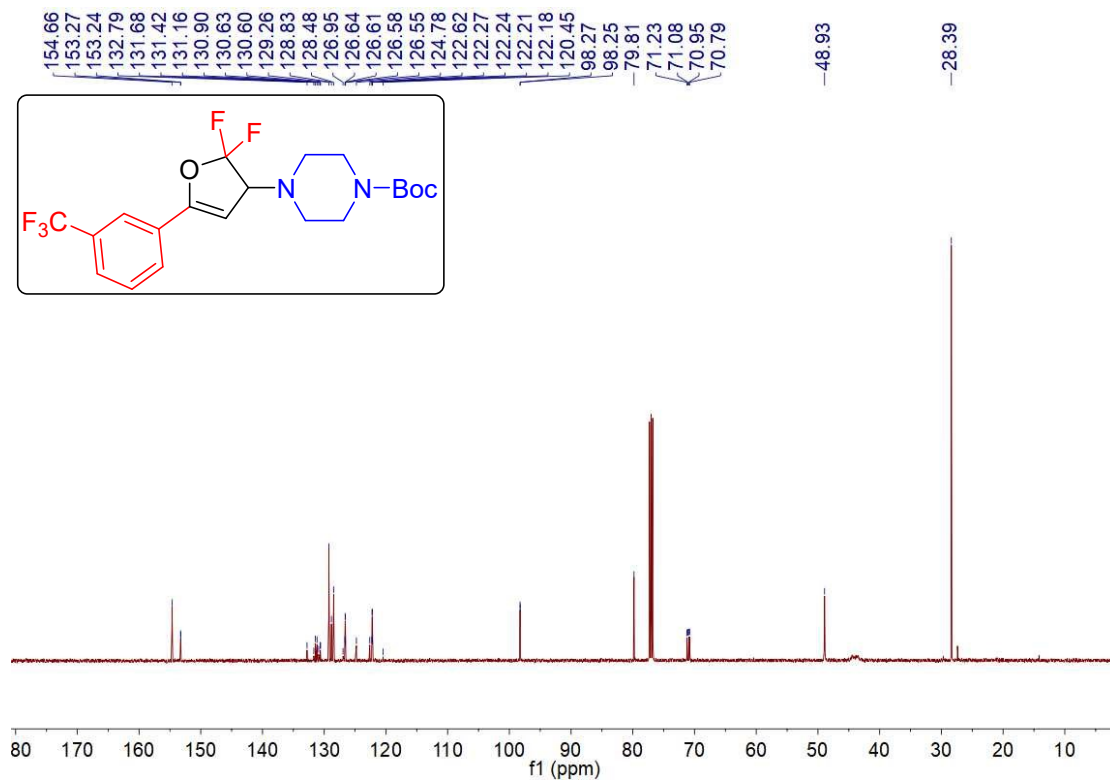
^{19}F spectrum (CDCl_3) tert-butyl 4-(5-(4-chlorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5t)



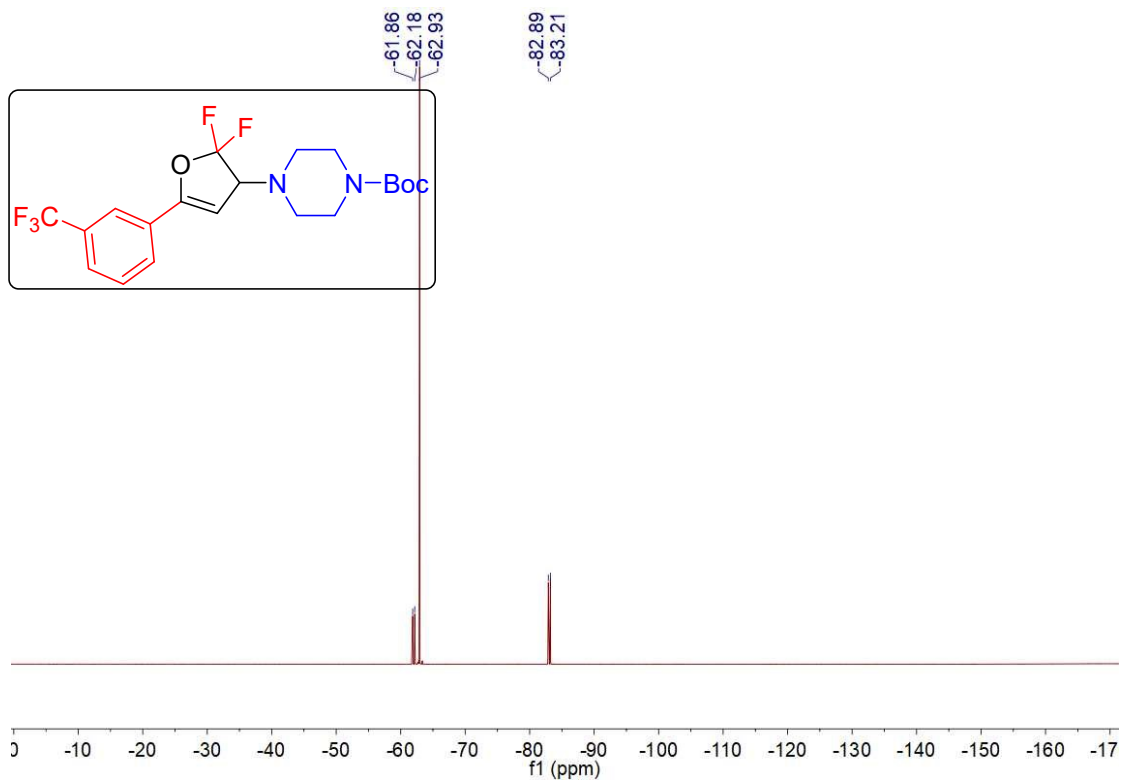
^1H spectrum (CDCl_3) tert-butyl 4-(2,2-difluoro-5-(3-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5u)



¹³C spectrum (CDCl₃) tert-butyl(4-(2,2-difluoro-5-(3-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5u)

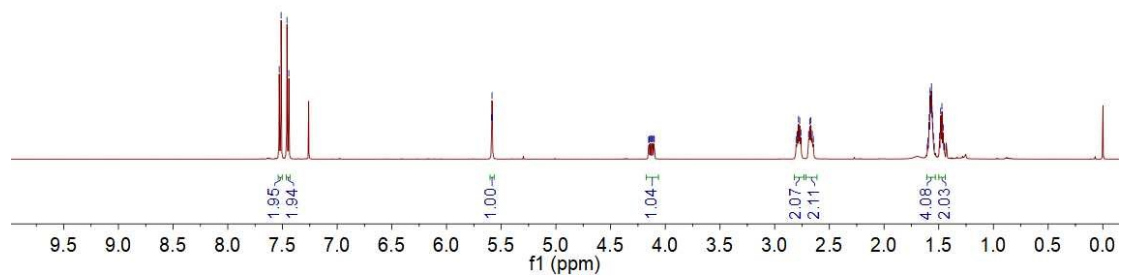
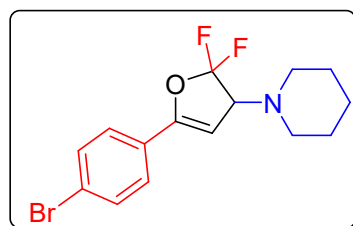


¹⁹F spectrum (CDCl₃) tert-butyl(4-(2,2-difluoro-5-(3-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-yl)piperazine-1-carboxylate (5u)

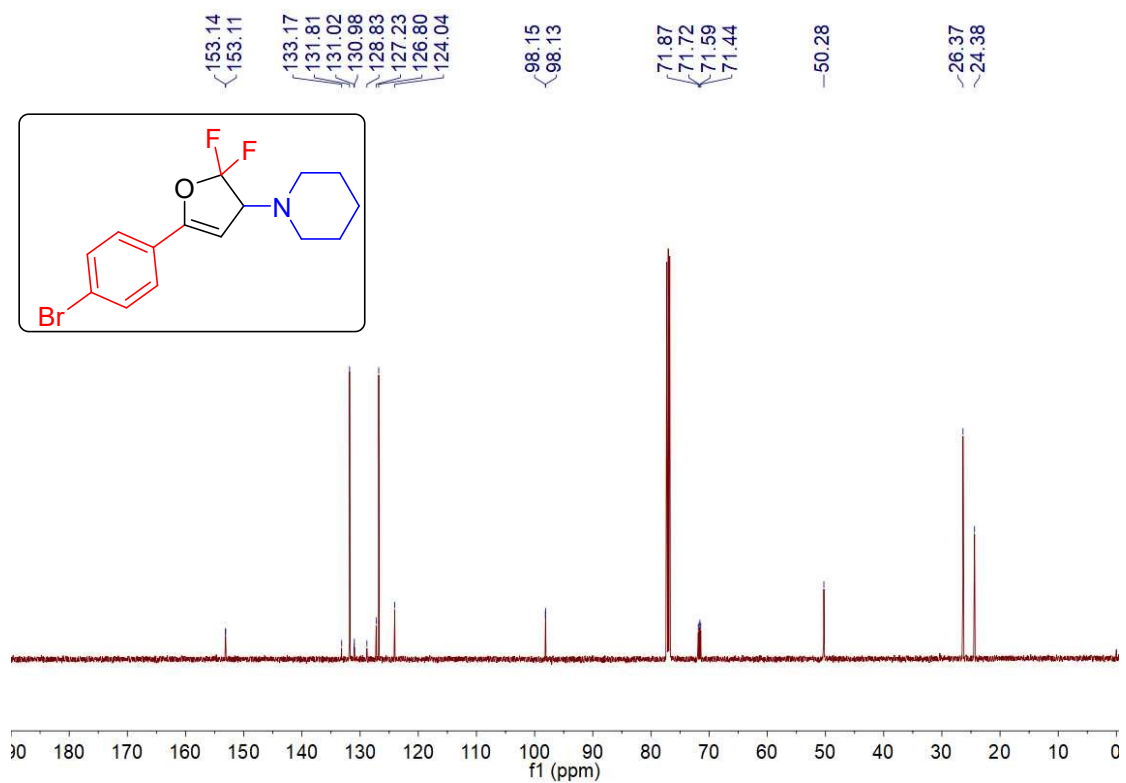


¹H spectrum (CDCl₃) 1-(5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperidine (5v)

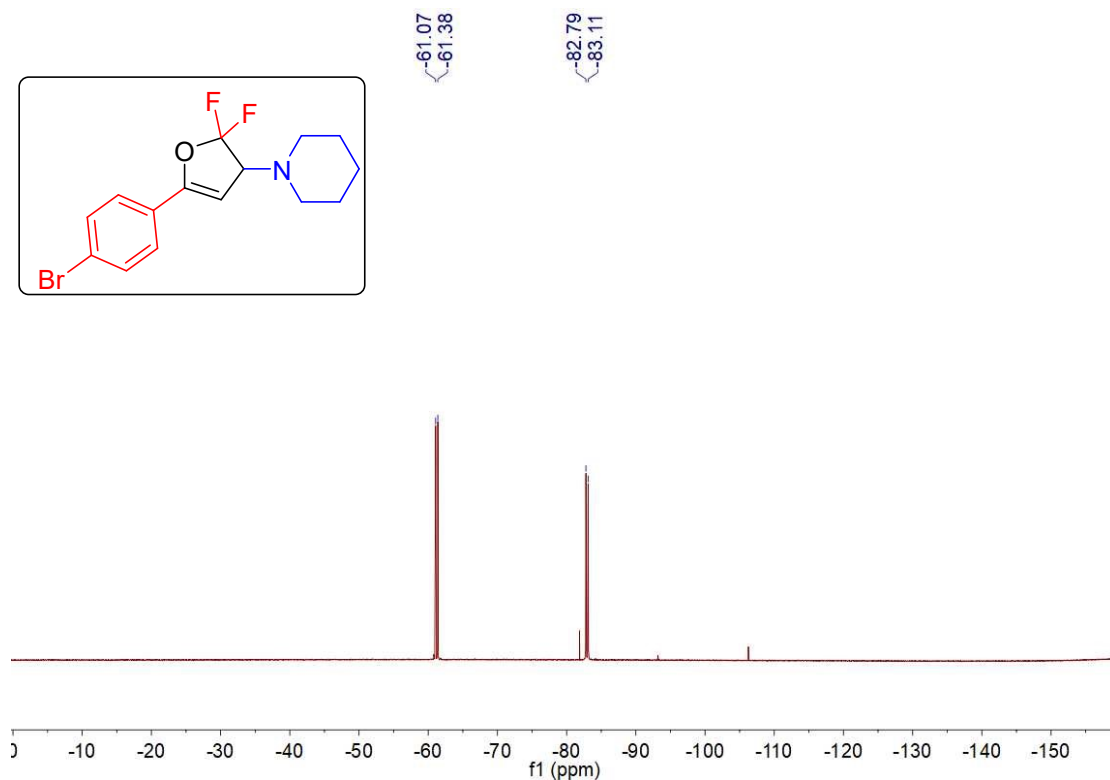
7.53, 7.51, 7.46, 7.44, 5.59, 5.58, 4.15, 4.15, 4.14, 4.13, 4.12, 4.12, 4.11, 4.10, 2.80, 2.79, 2.78, 2.77, 2.76, 2.69, 2.68, 2.67, 2.66, 2.65, 1.61, 1.60, 1.59, 1.59, 1.58, 1.58, 1.57, 1.56, 1.56, 1.55, 1.55, 1.54, 1.49, 1.48, 1.47, 1.46, 1.45, 1.45



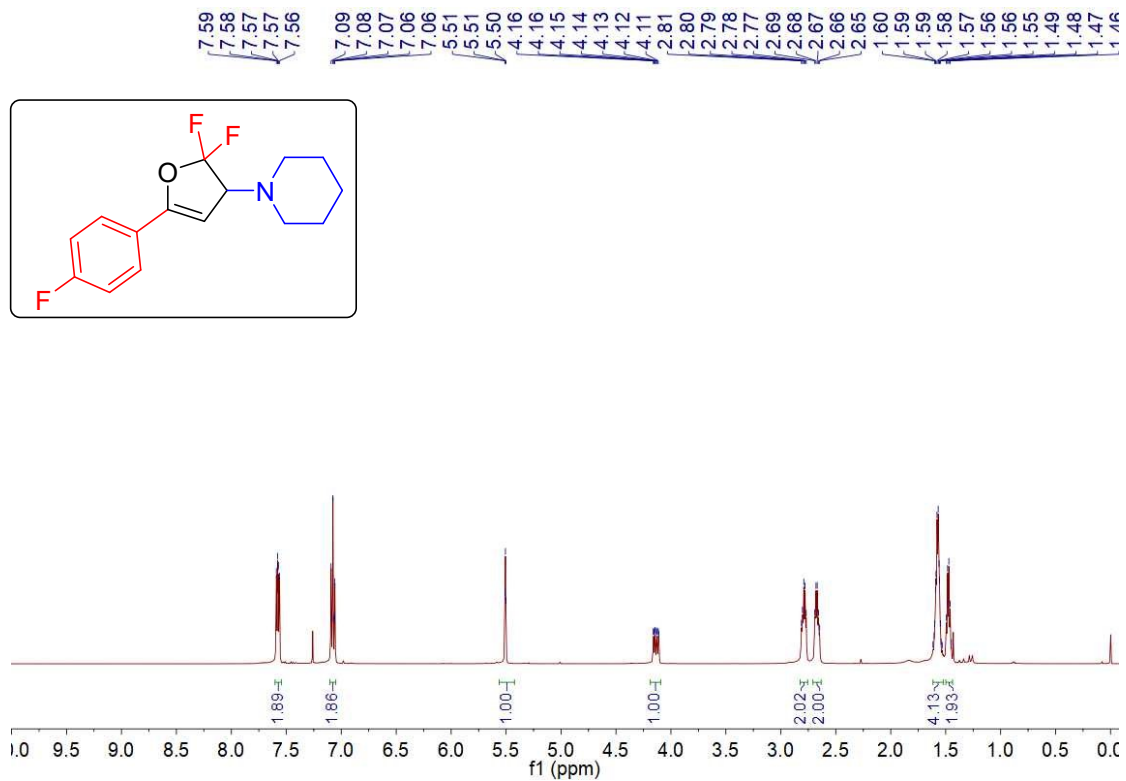
¹³C spectrum (CDCl₃) 1-(5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperidine (5v)



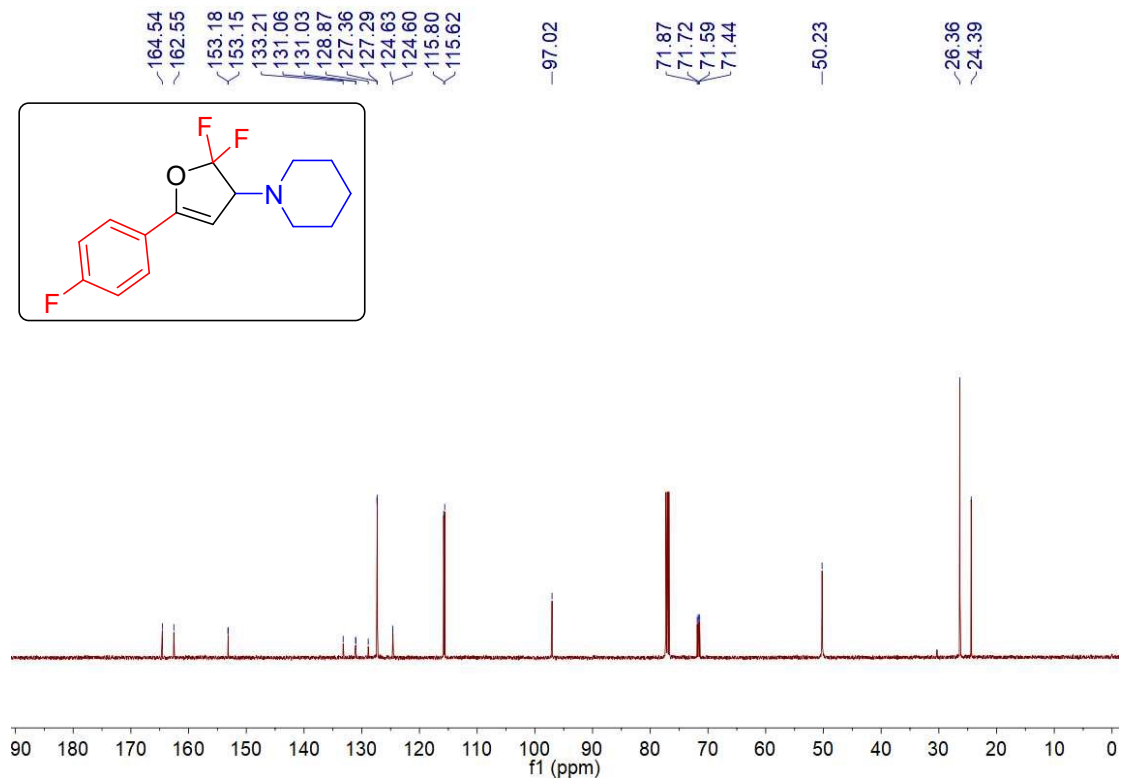
¹⁹F spectrum (CDCl₃) 1-(5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-yl)piperidine (5v)



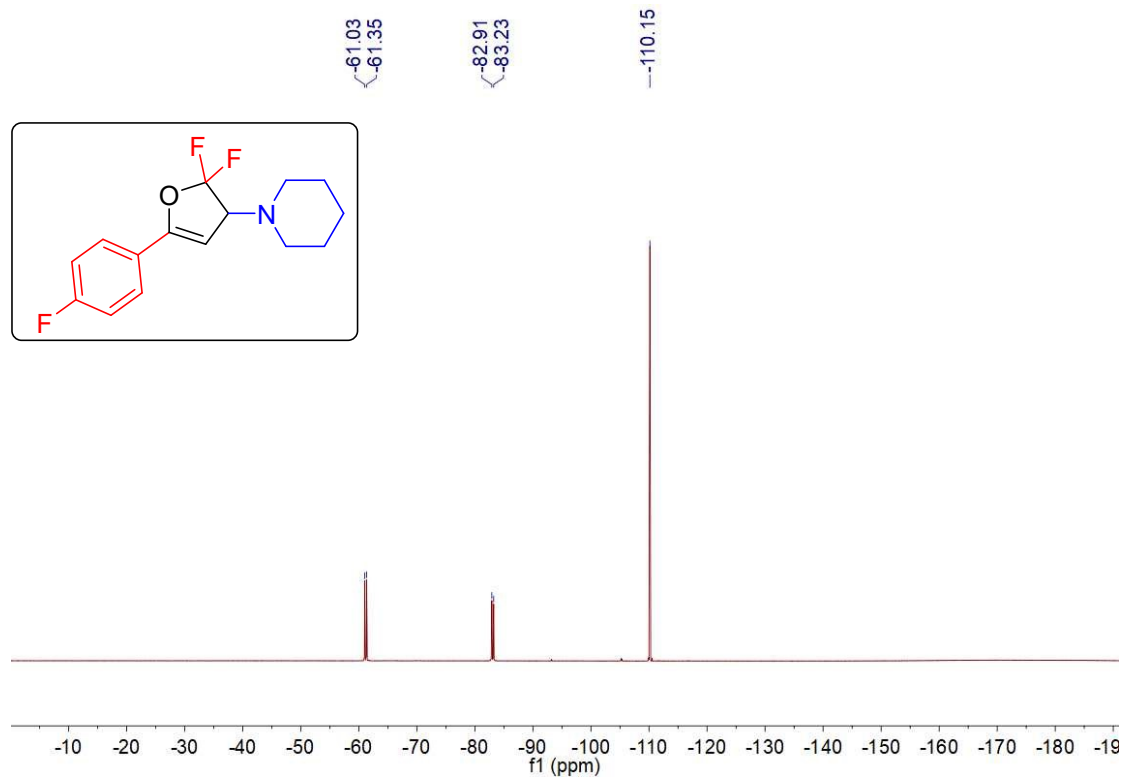
¹H spectrum (CDCl₃) 1-(2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-yl)piperidine (5w)



¹³C spectrum (CDCl₃) 1-(2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-yl)piperidine (5w)

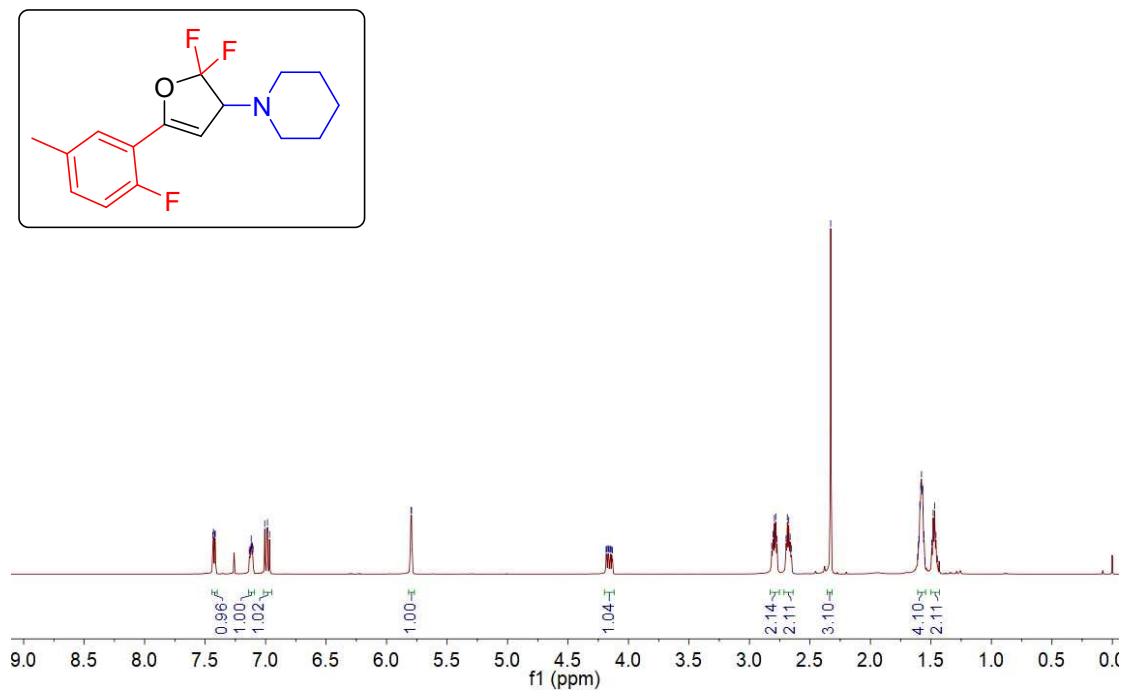


¹⁹F spectrum (CDCl₃) 1-(2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-yl)piperidine (9w)

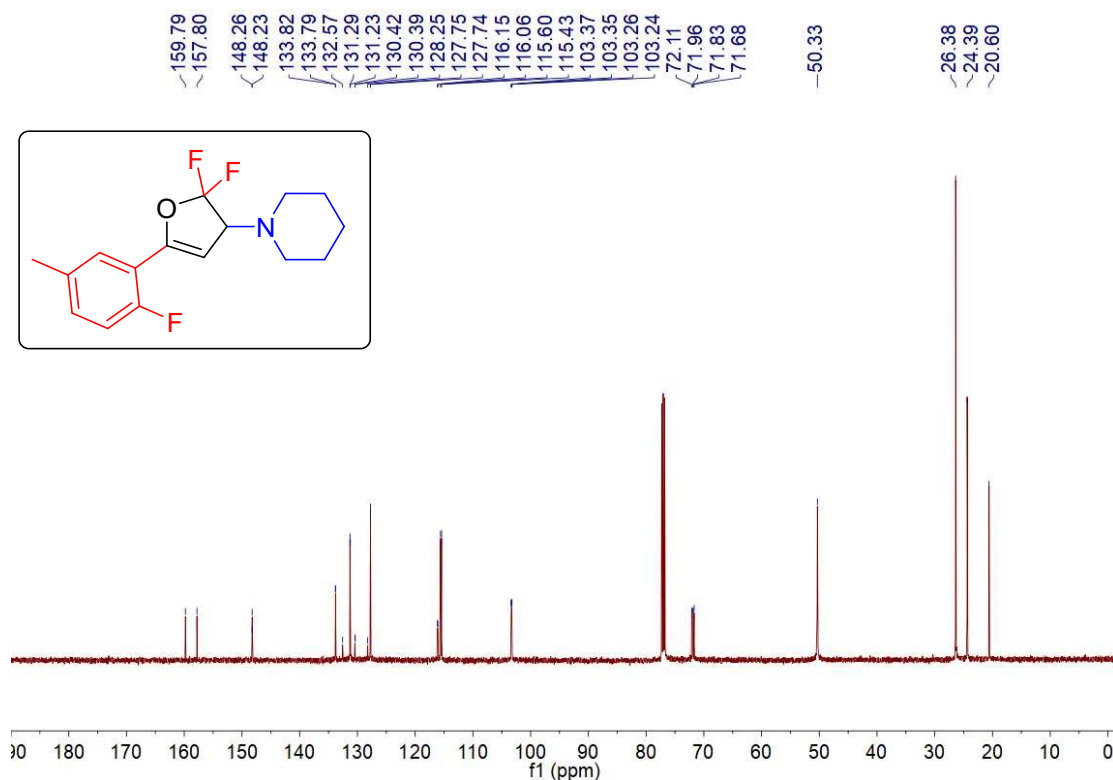


¹H spectrum (CDCl₃) 1-(2,2-difluoro-5-(2-fluoro-5-methylphenyl)-2,3-dihydrofuran-3-yl)piperidine (5x)

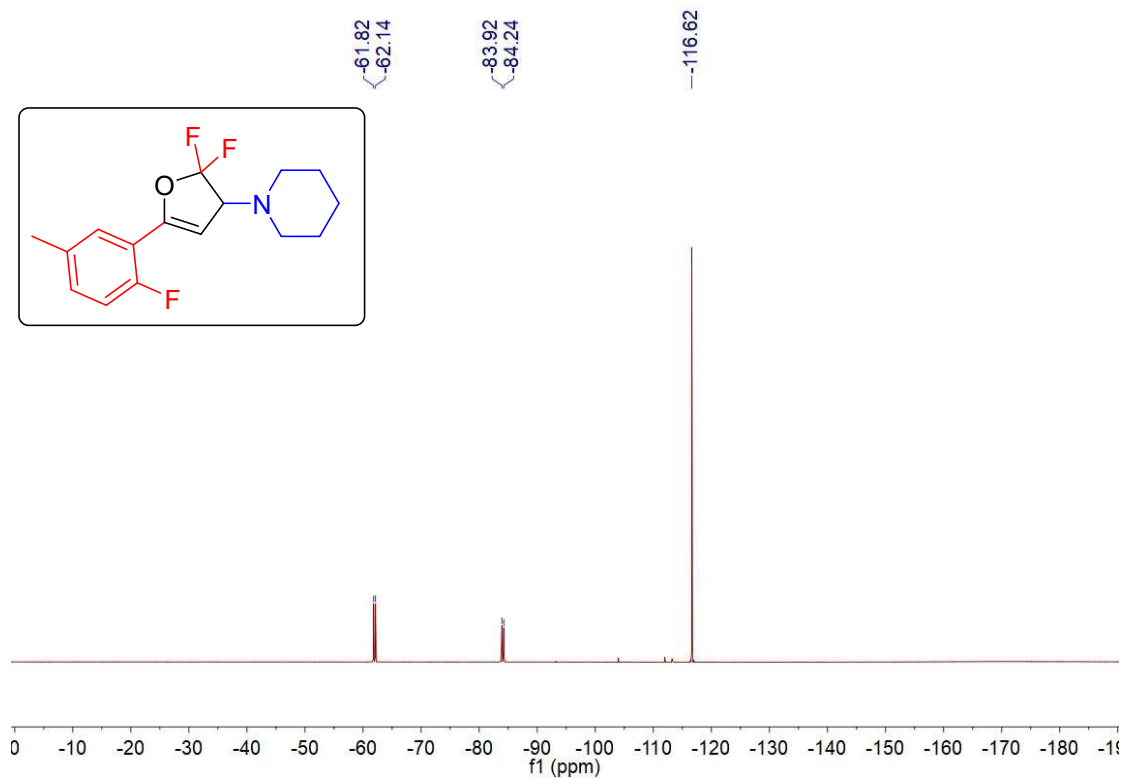
7.44, 7.43, 7.42, 7.42, 7.14, 7.13, 7.12, 7.11, 7.10, 7.01, 6.99, 6.98, 6.97, 5.80, 5.79, 4.19, 4.18, 4.17, 4.16, 4.15, 4.15, 4.14, 4.13, 2.82, 2.80, 2.79, 2.78, 2.77, 2.70, 2.69, 2.68, 2.66, 2.65, 2.33, 1.61, 1.60, 1.60, 1.59, 1.58, 1.58, 1.57, 1.57, 1.56, 1.56, 1.49, 1.48, 1.47, 1.46, 1.45



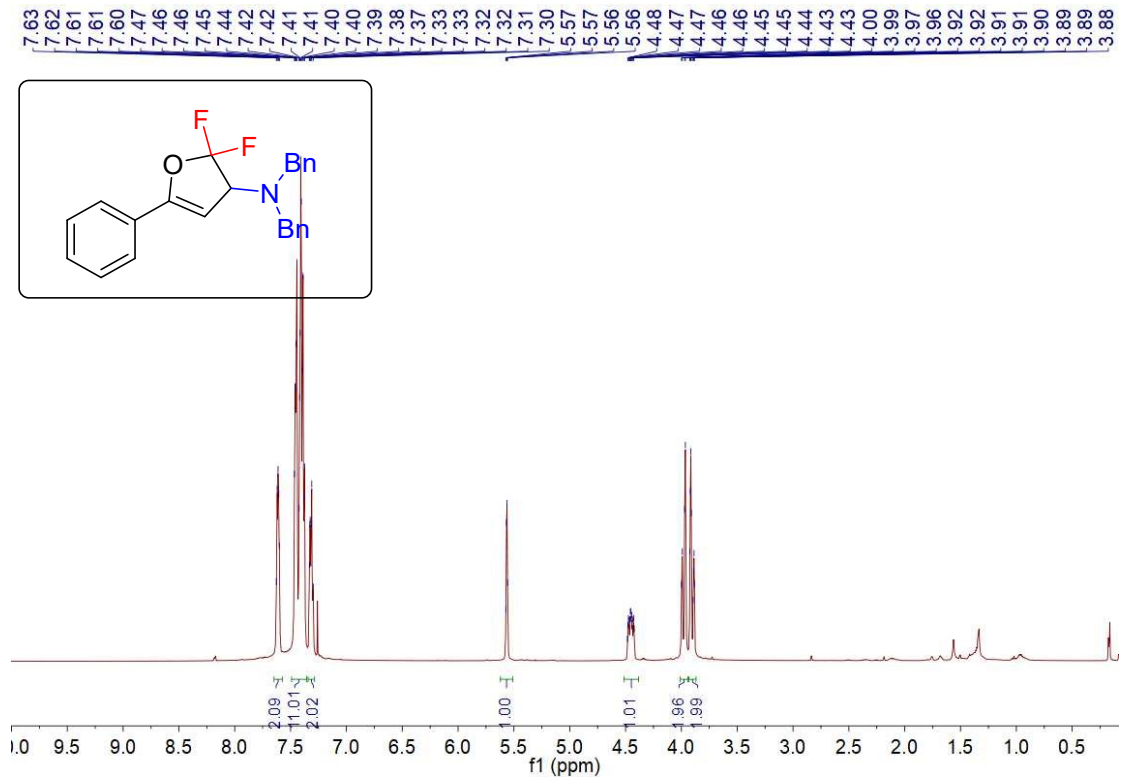
¹³C spectrum (CDCl₃) 1-(2,2-difluoro-5-(2-fluoro-5-methylphenyl)-2,3-dihydrofuran-3-yl)piperidine (5x)



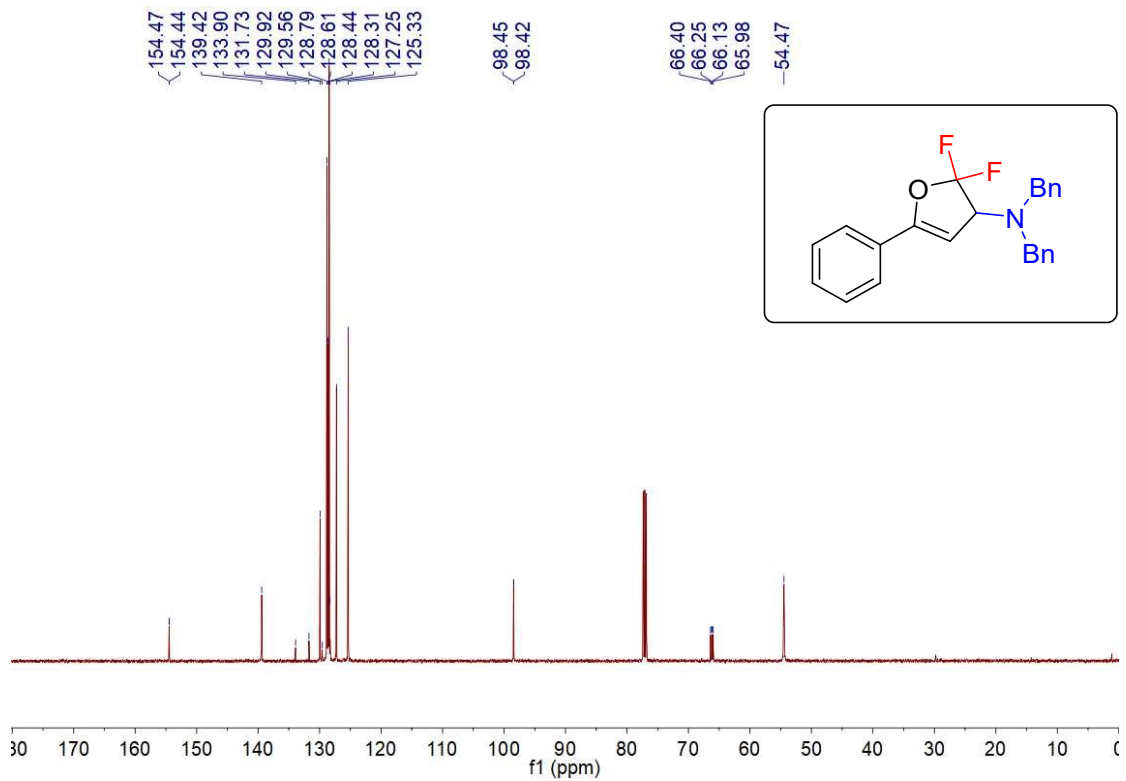
¹⁹F spectrum (CDCl₃) 1-(2,2-difluoro-5-(2-fluoro-5-methylphenyl)-2,3-dihydrofuran-3-yl)piperidine (5x)



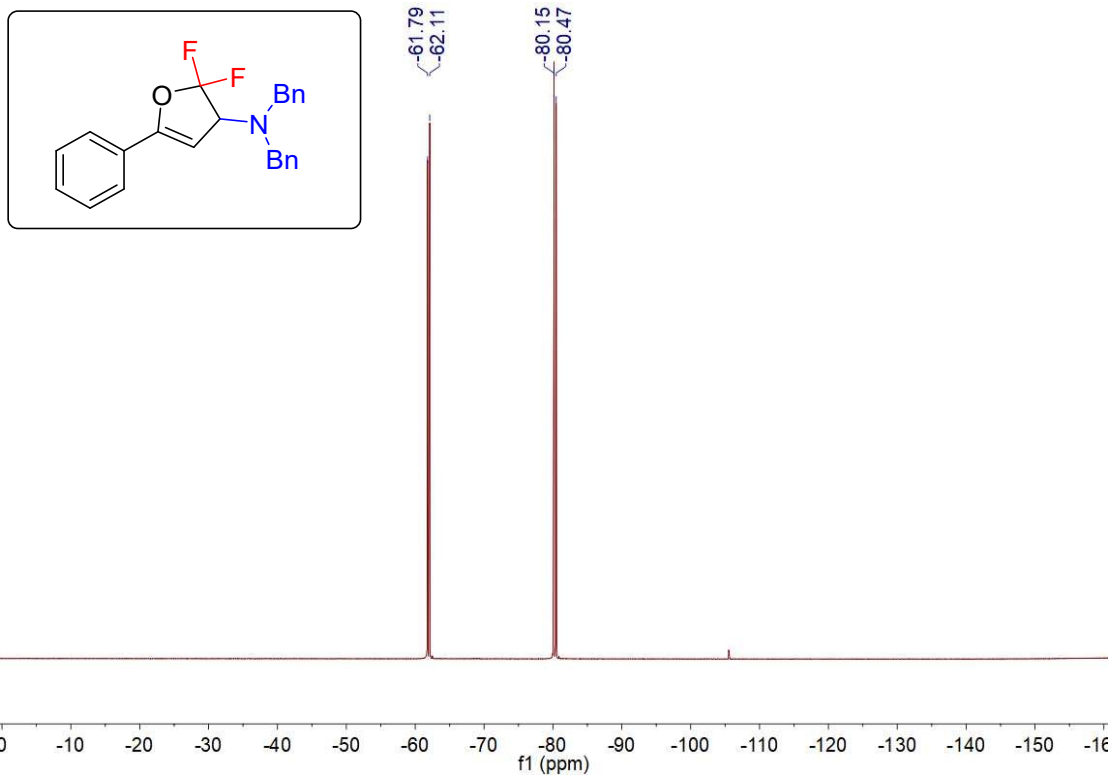
¹H spectrum (CDCl₃) N,N-dibenzyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5y)



¹³C spectrum (CDCl₃) N,N-dibenzyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5y)



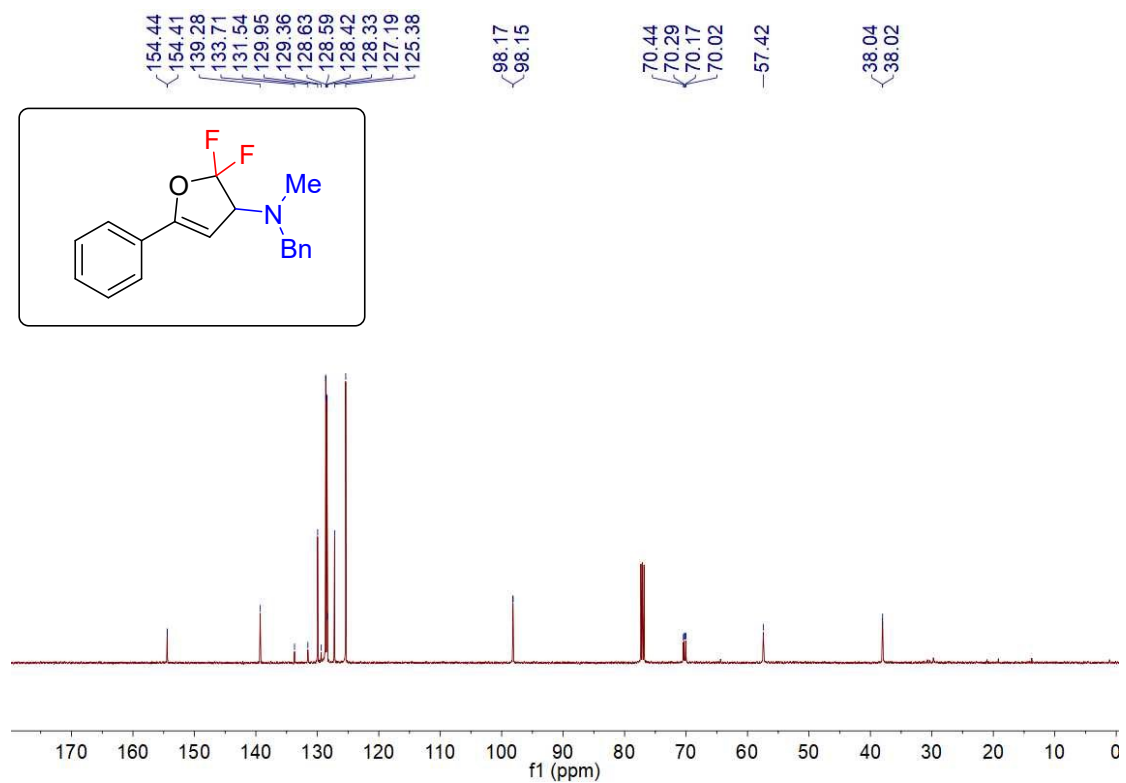
¹⁹F spectrum (CDCl₃) N,N-dibenzyl-2,2-difluoro-5-phenyl-2,3-dihydrofuran-3-amine (5y)



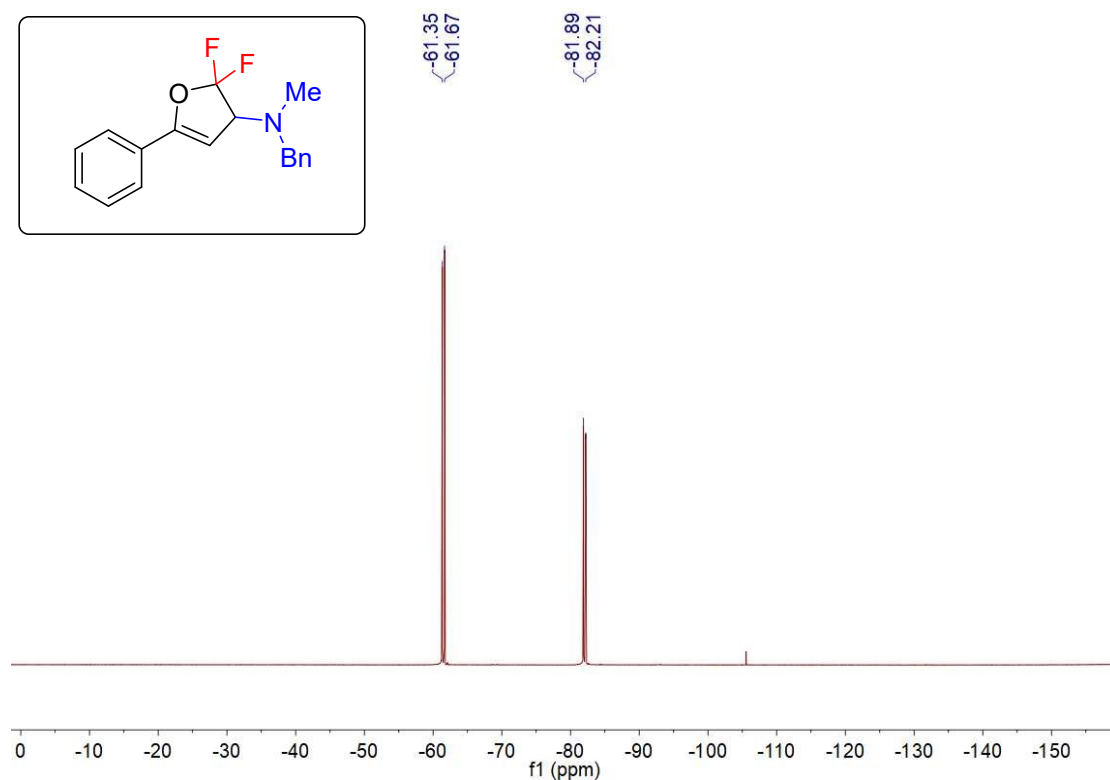
¹H spectrum (CDCl₃) N-benzyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (**5z**)



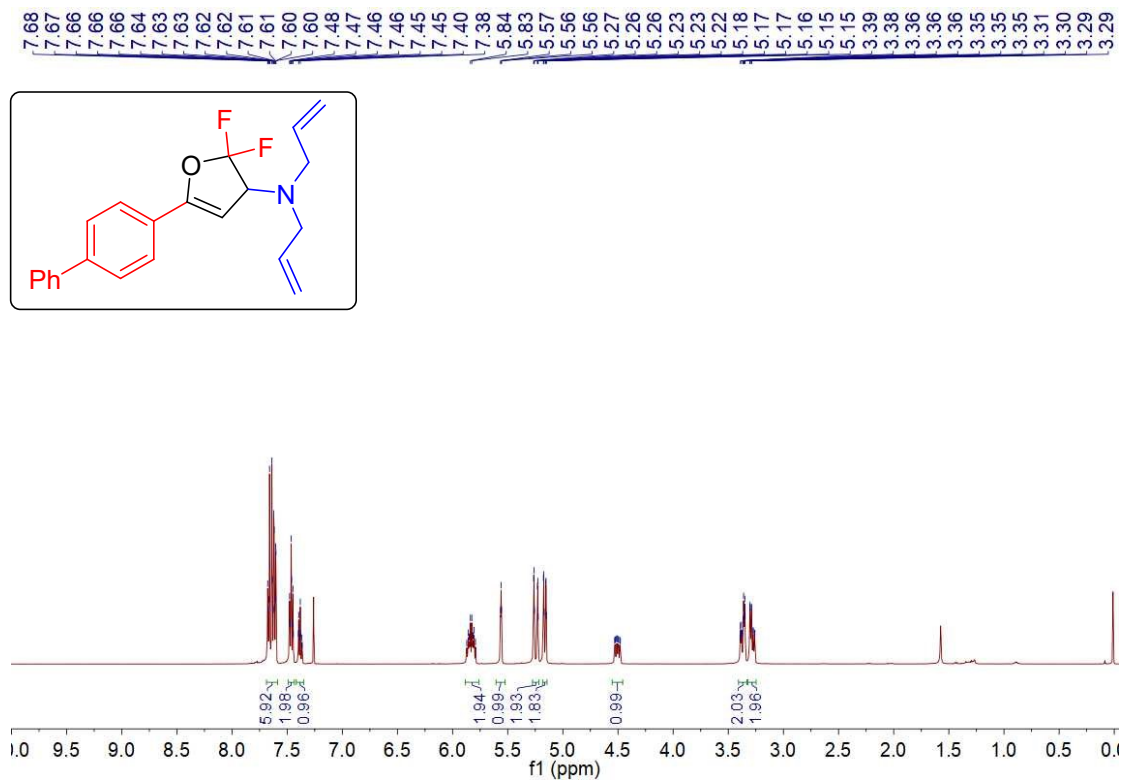
¹³C spectrum (CDCl₃) N-benzyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (**5z**)



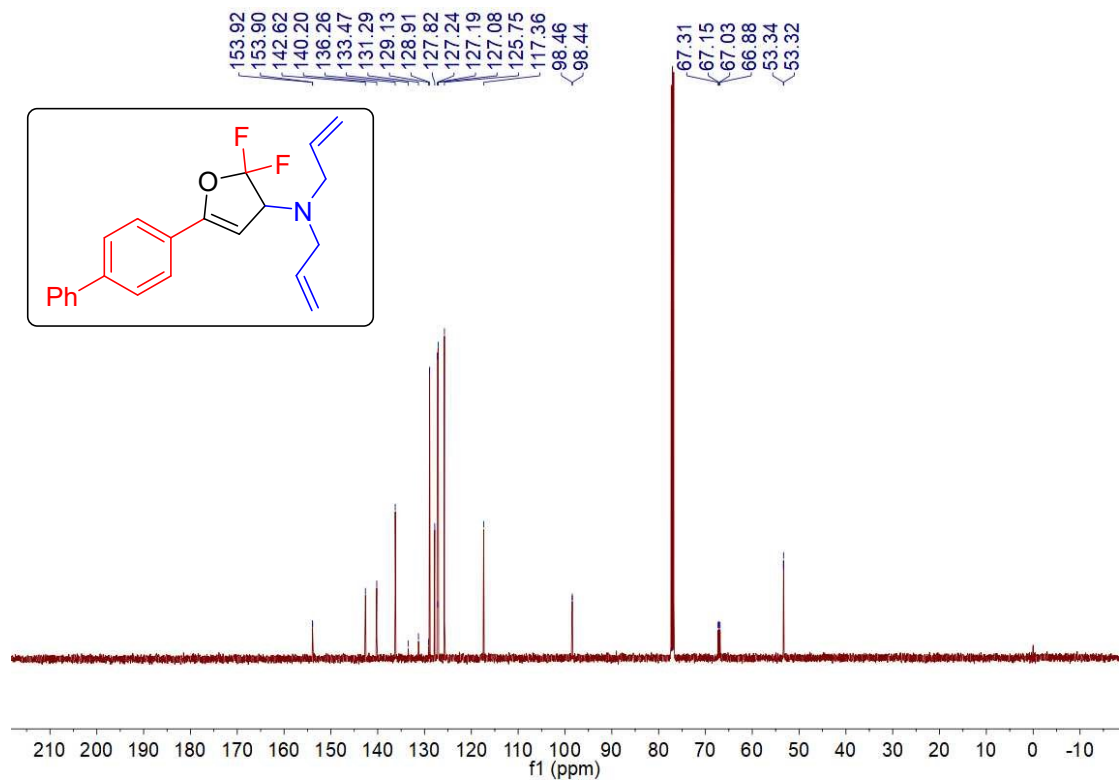
¹⁹F spectrum (CDCl₃) N-benzyl-2,2-difluoro-N-methyl-5-phenyl-2,3-dihydrofuran-3-amine (5z)



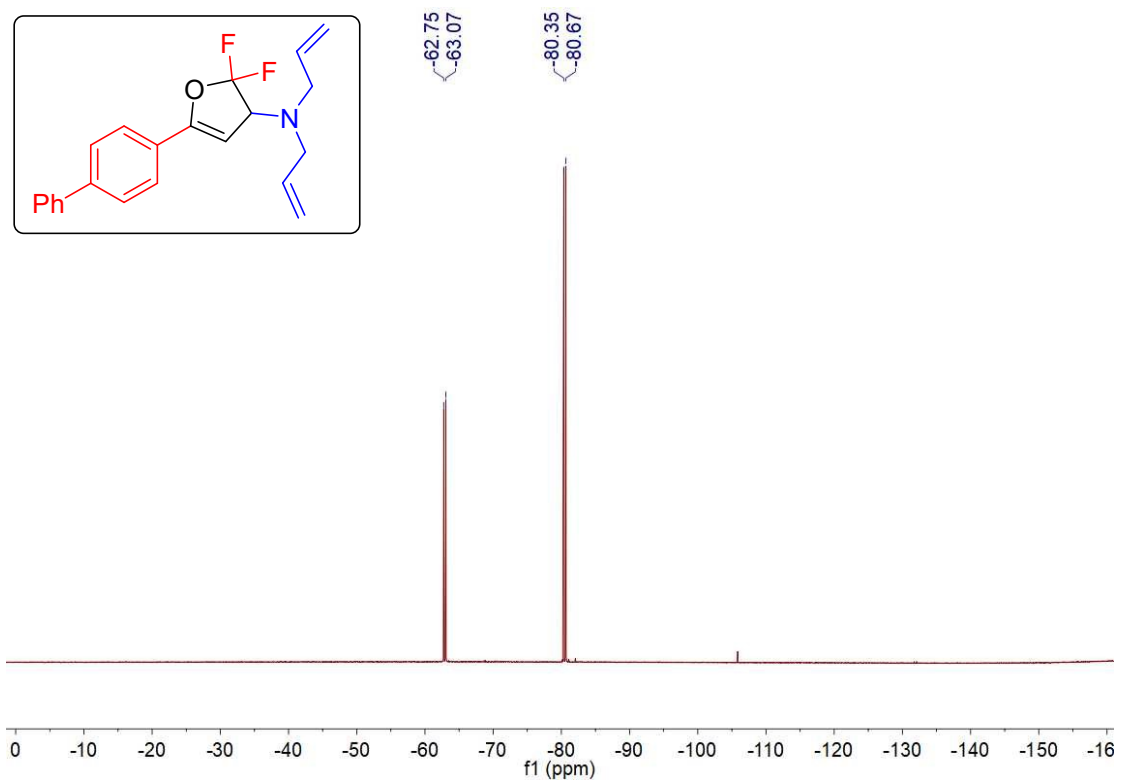
¹H spectrum (CDCl₃) 5-([1,1'-biphenyl]-4-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5aa)



¹³C spectrum (CDCl₃) 5-([1,1'-biphenyl]-4-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5aa)

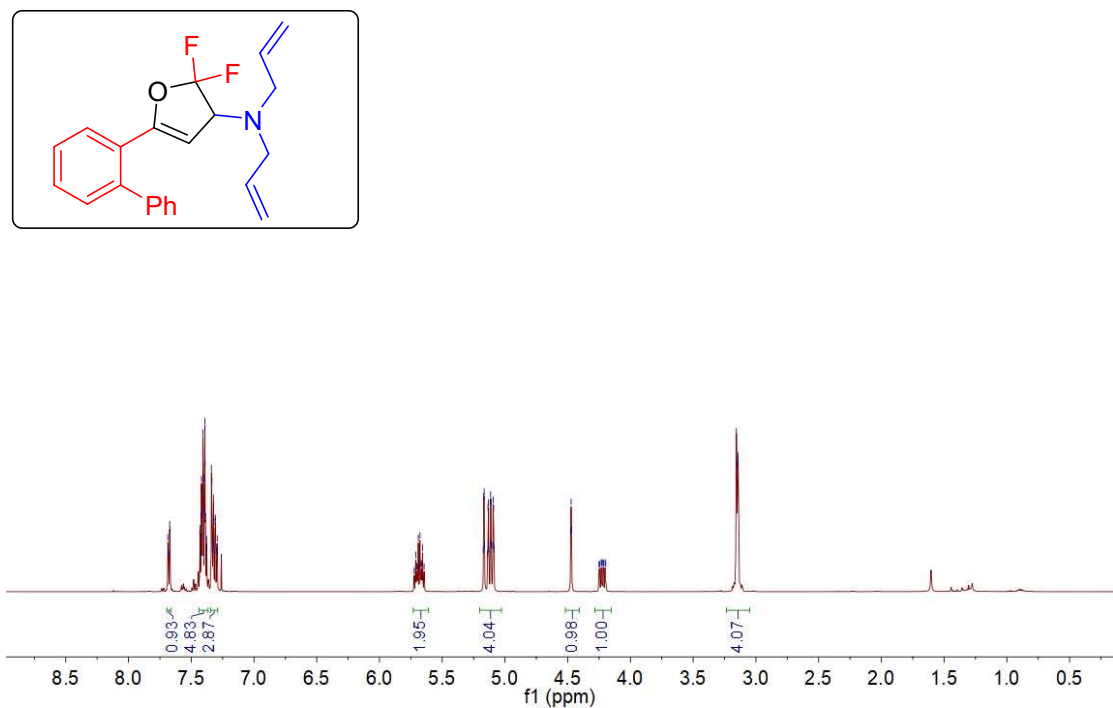


¹⁹F spectrum (CDCl₃) 5-([1,1'-biphenyl]-4-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5aa)

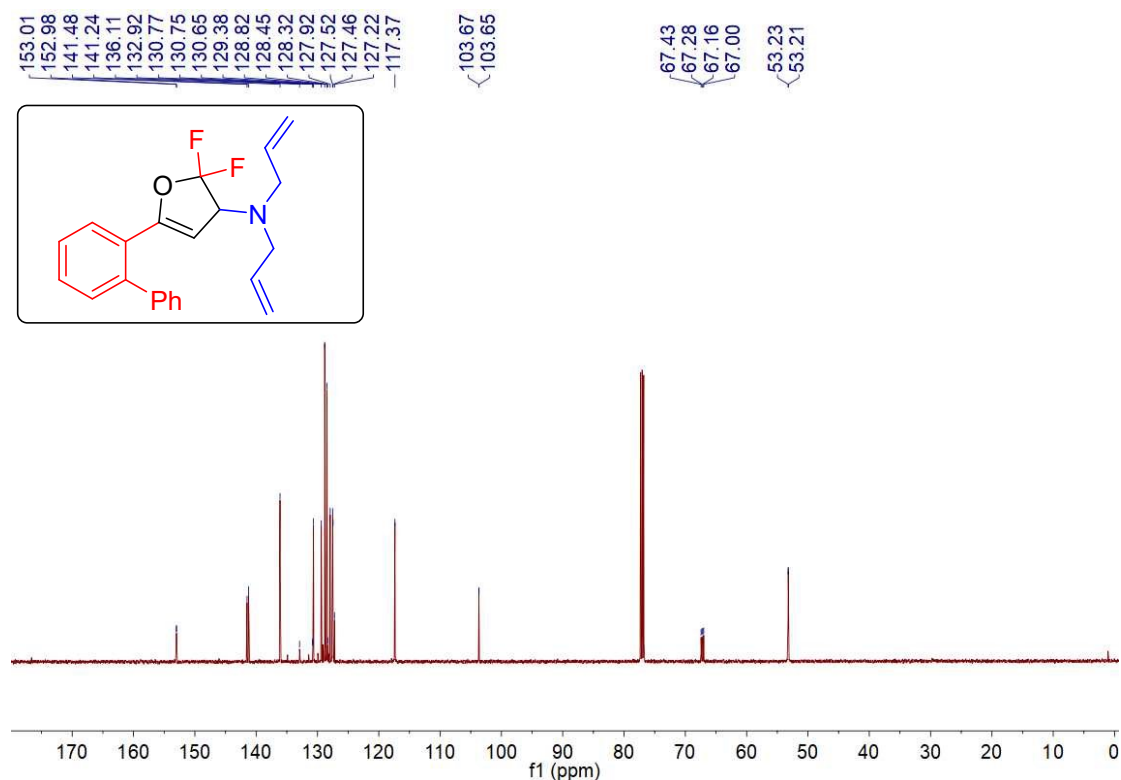


^1H spectrum (CDCl_3) 5-([1,1'-biphenyl]-2-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5ab)

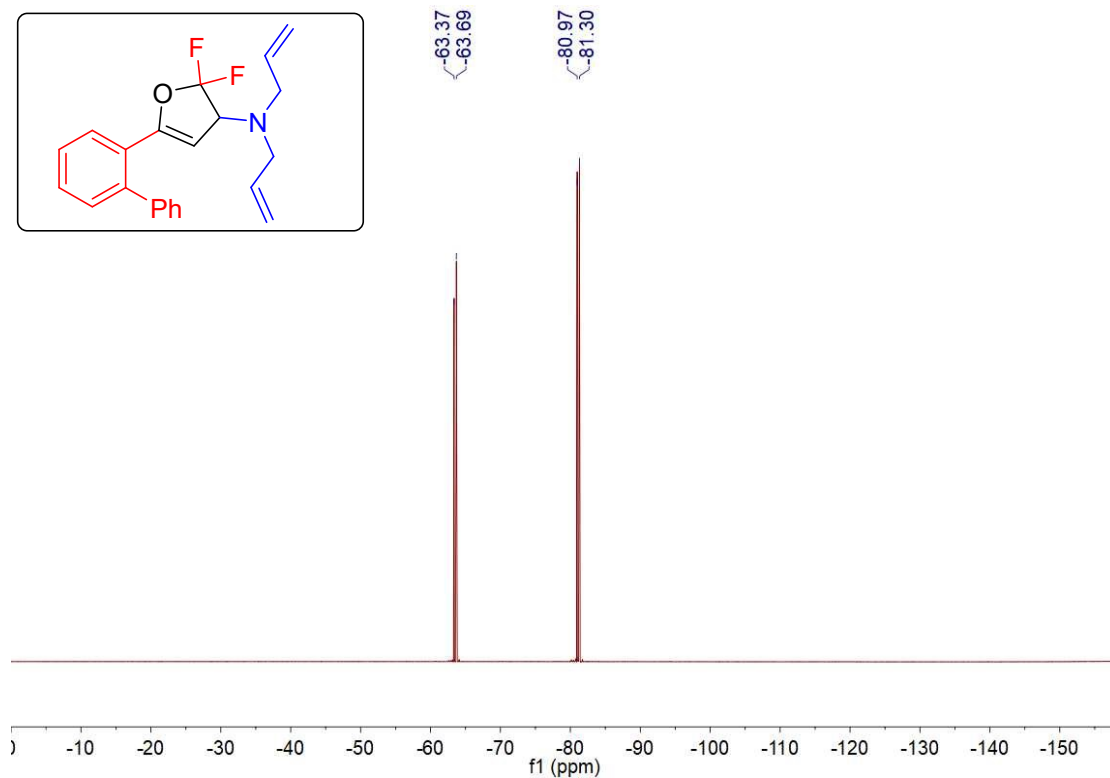
7.69
7.68
7.67
7.67
7.43
7.43
7.42
7.42
7.42
7.41
7.40
7.40
7.40
7.39
7.39
7.38
7.38
7.34
7.34
7.33
7.32
7.32
7.31
7.31
7.30
7.29
5.69
5.68
5.66
5.66
5.17
5.17
5.16
5.16
5.13
5.13
5.12
5.12
5.11
5.11
5.10
5.10
5.09
5.09
5.09
4.48
4.47
4.47
3.16
3.15
3.14
3.14



^{13}C spectrum (CDCl_3) 5-([1,1'-biphenyl]-2-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5ab)

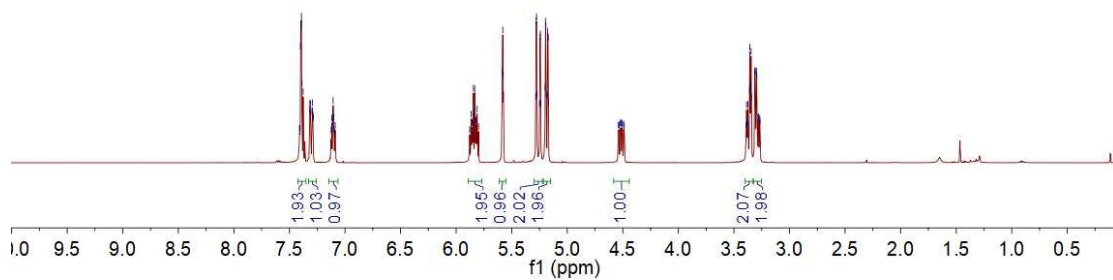
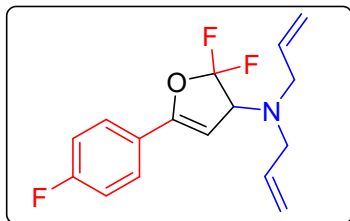


¹⁹F spectrum (CDCl₃) 5-([1,1'-biphenyl]-2-yl)-N,N-diallyl-2,2-difluoro-2,3-dihydrofuran-3-amine (5ab)



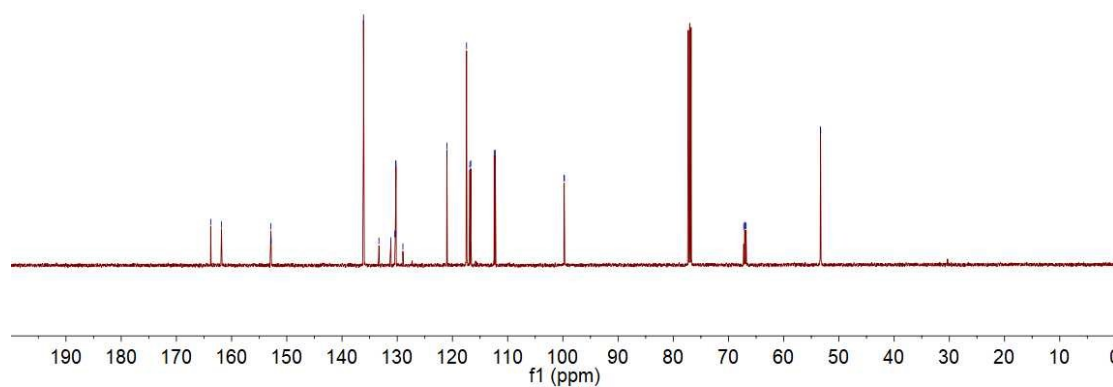
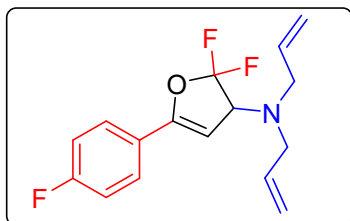
¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-amine (5ac)

7.40
7.39
7.39
7.39
7.38
7.32
7.31
7.31
7.30
7.29
7.29
7.11
7.10
5.87
5.84
5.84
5.83
5.83
5.81
5.59
5.58
5.58
5.28
5.28
5.27
5.25
5.25
5.24
5.24
5.20
5.20
5.19
5.19
5.18
5.18
5.17
5.17
3.39
3.38
3.38
3.36
3.36
3.35
3.35
3.35
3.34
3.31
3.31
3.29
3.28

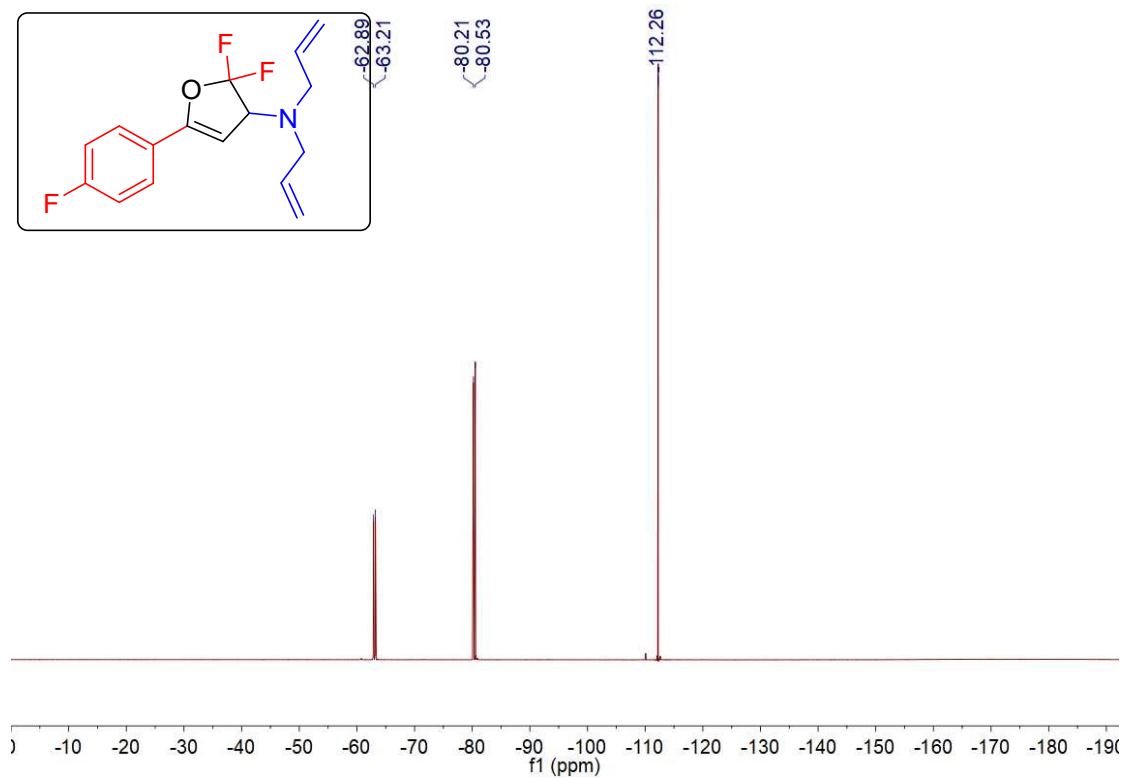


¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-amine (5ac)

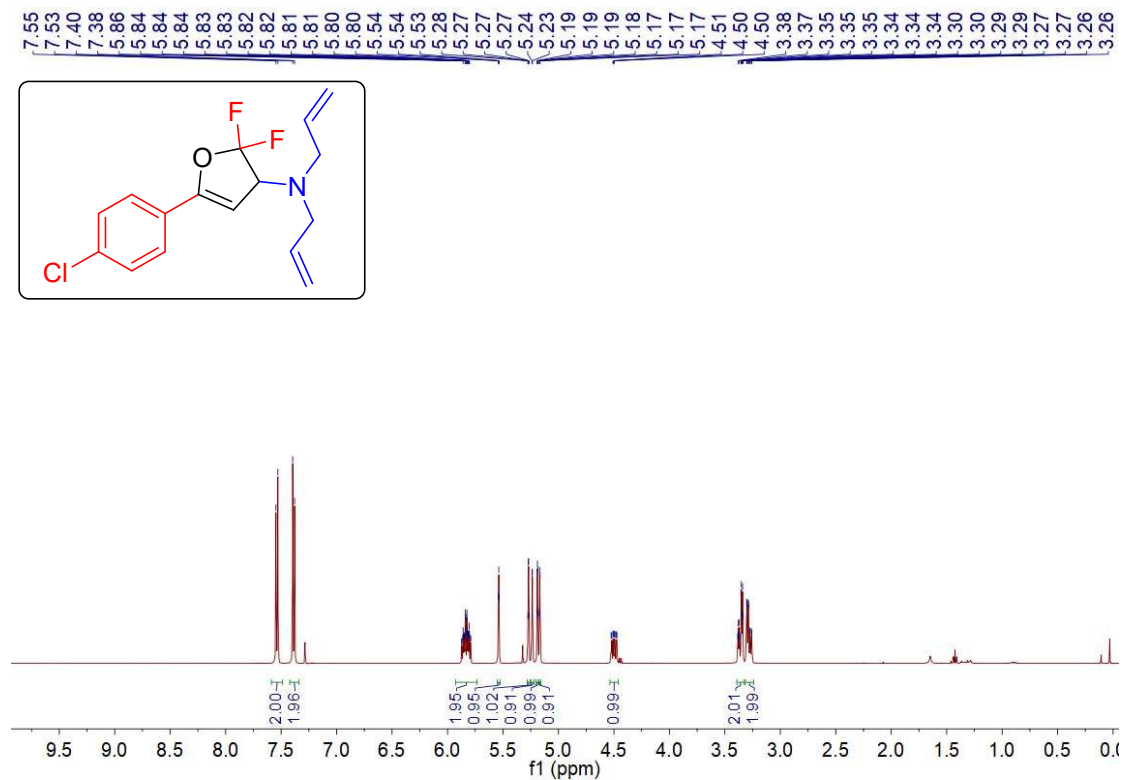
163.79
161.83
152.90
152.88
152.85
136.12
133.32
131.15
130.42
130.36
130.28
130.22
128.98
121.01
120.98
117.44
116.85
116.68
112.44
112.25
99.76
99.74
67.24
67.08
66.96
66.81
53.33
53.31



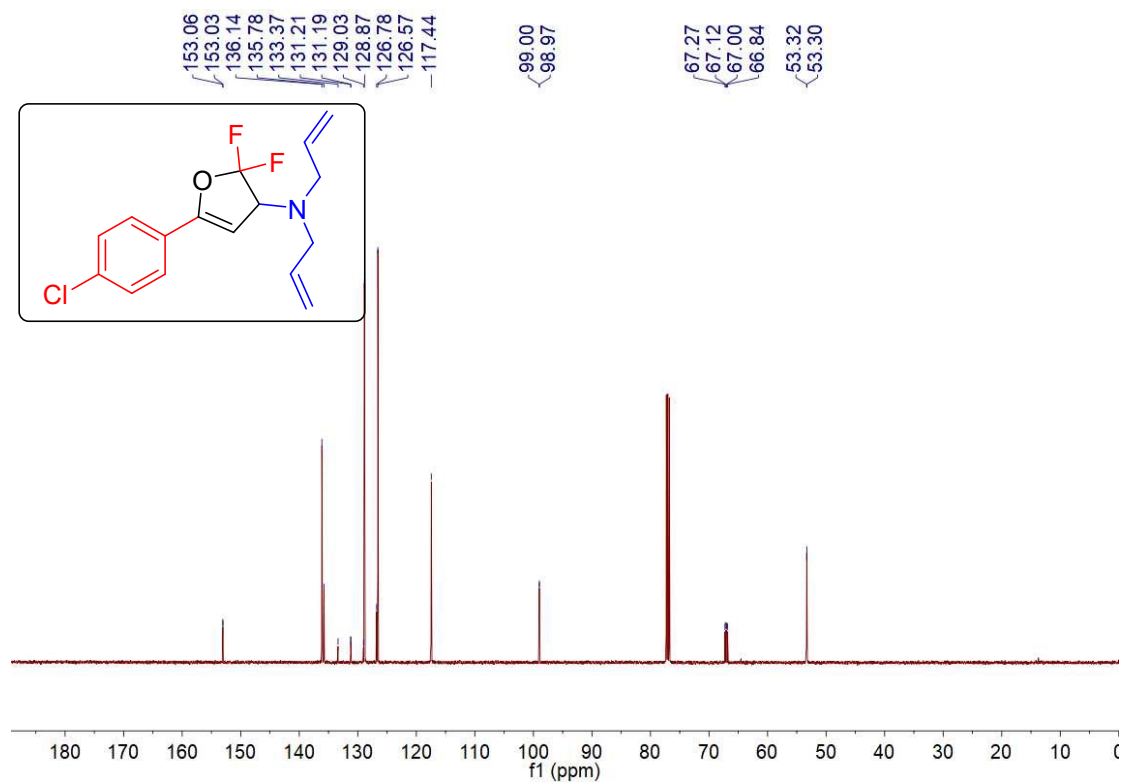
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-fluorophenyl)-2,3-dihydrofuran-3-amine (5ac)



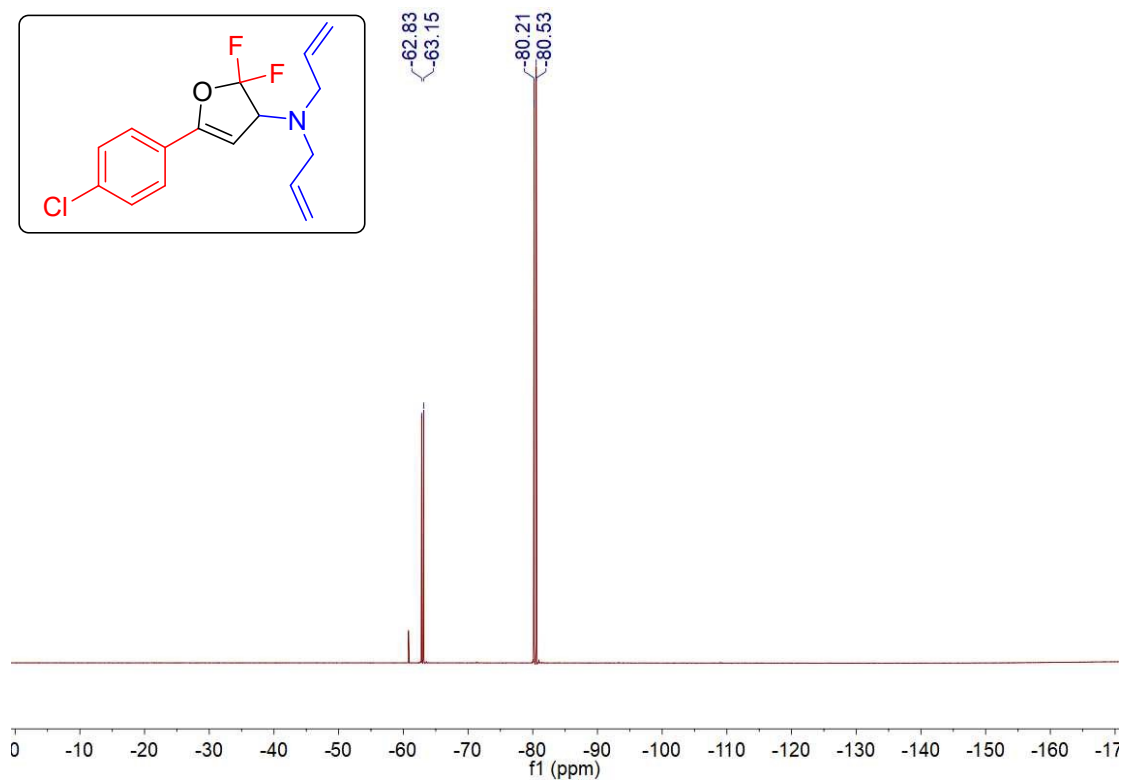
¹H spectrum (CDCl₃) N,N-diallyl-5-(4-chlorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5ad)



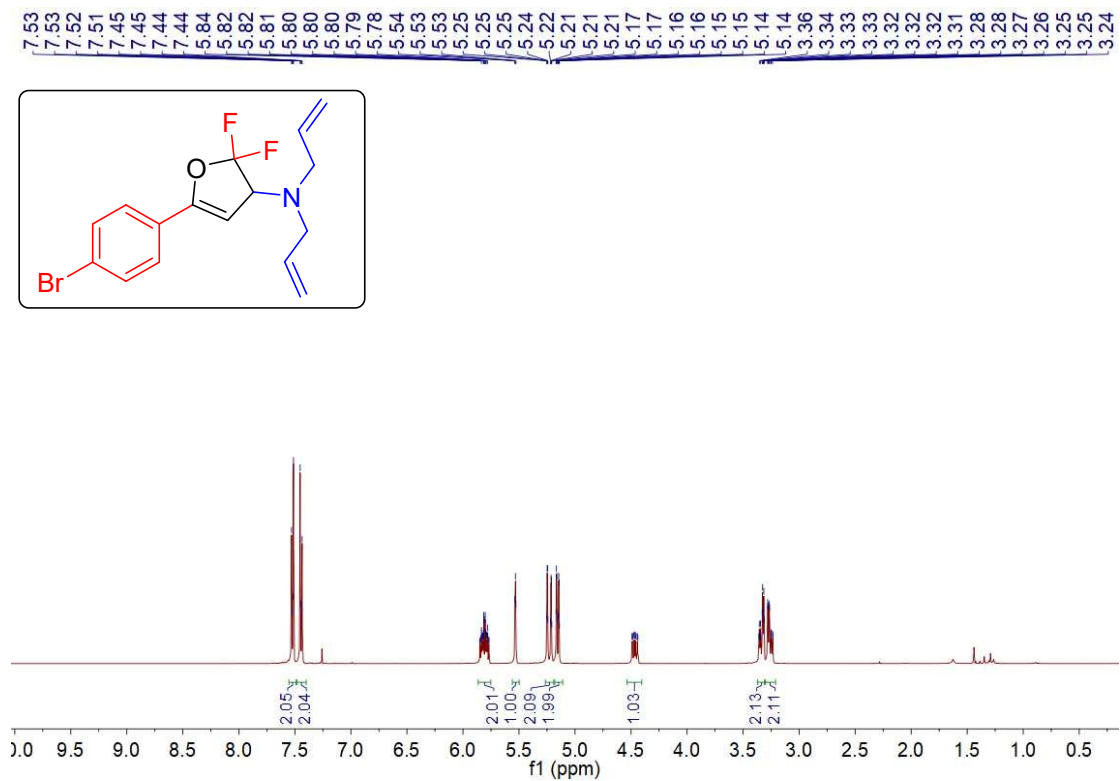
¹³C spectrum (CDCl₃) N,N-diallyl-5-(4-chlorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5ad)



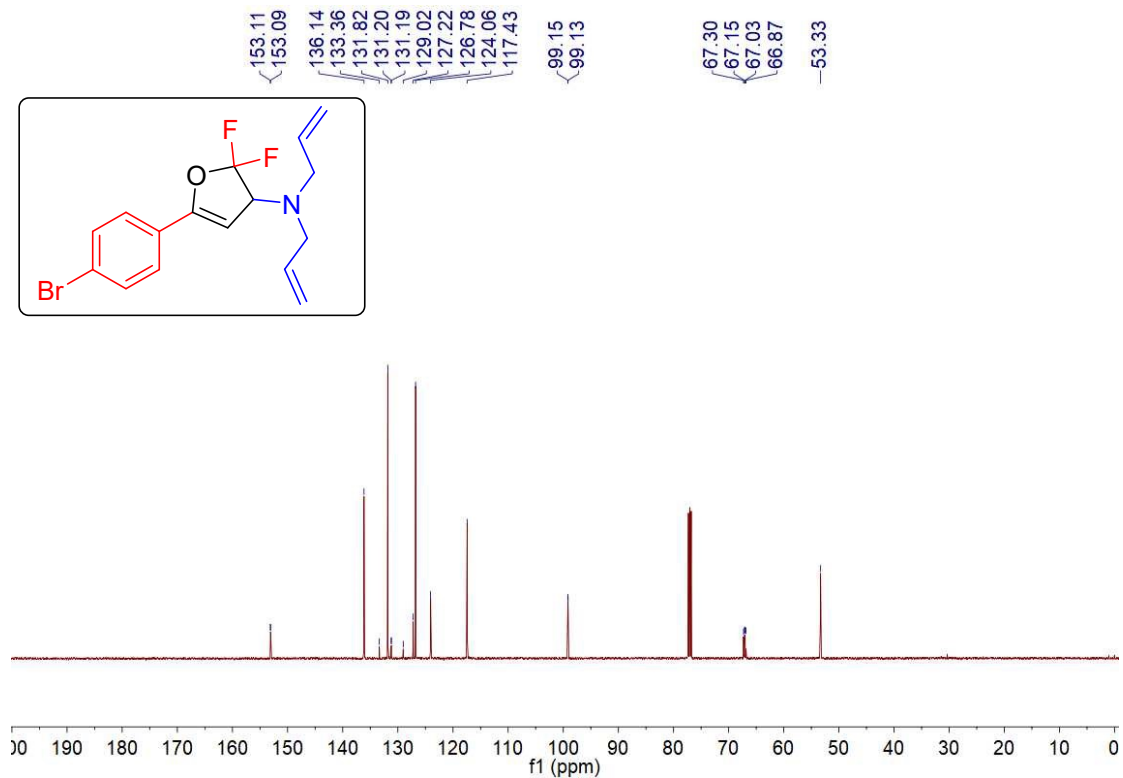
¹⁹F spectrum (CDCl₃) N,N-diallyl-5-(4-chlorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (**5ad**)



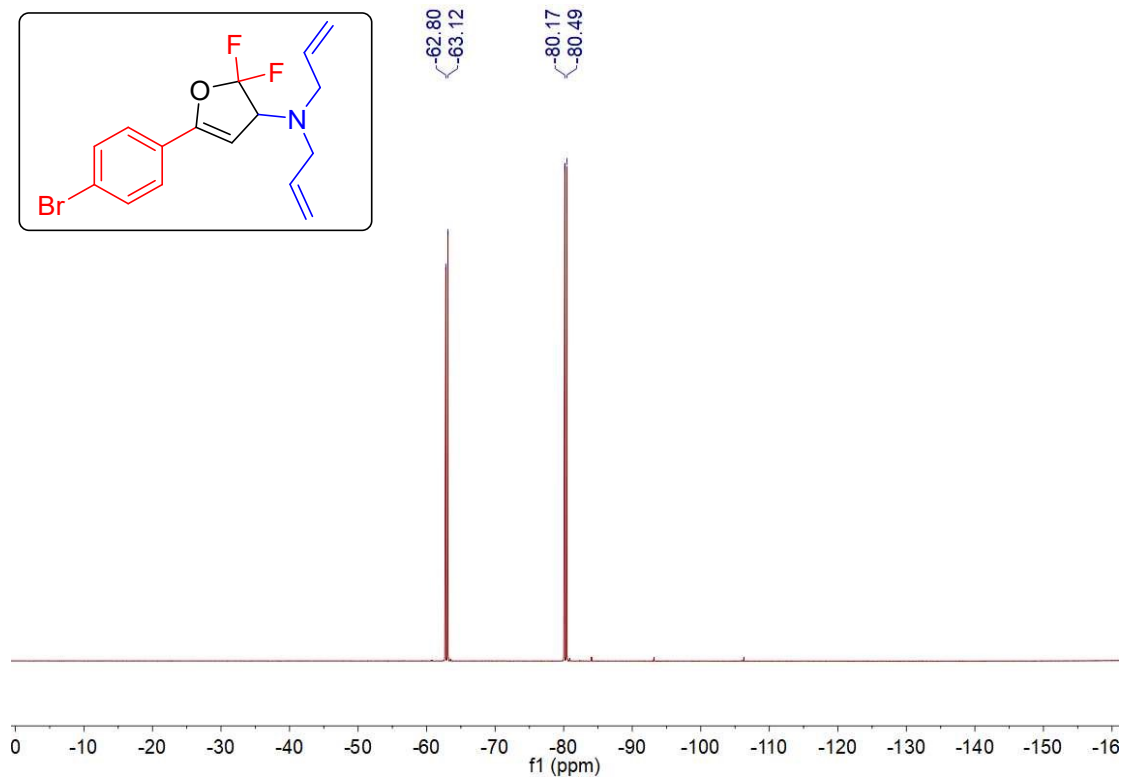
¹H spectrum (CDCl₃) N,N-diallyl-5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (**5ae**)



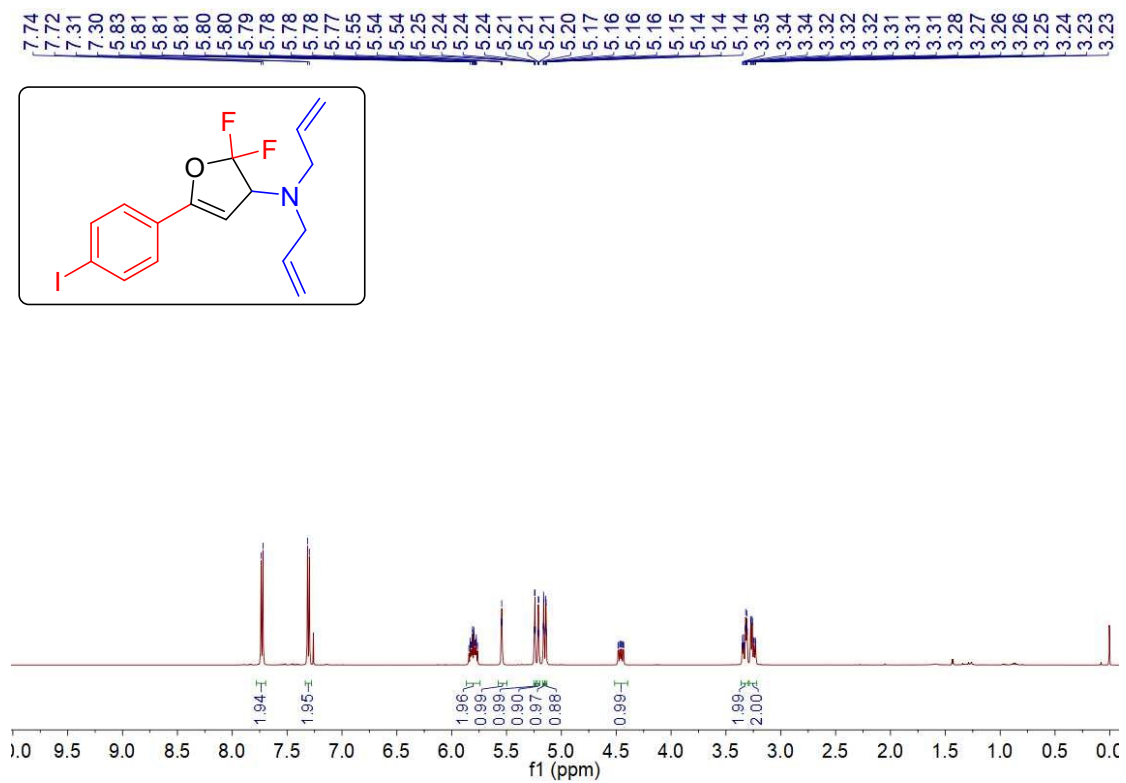
¹³C spectrum (CDCl₃) N,N-diallyl-5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5ae)



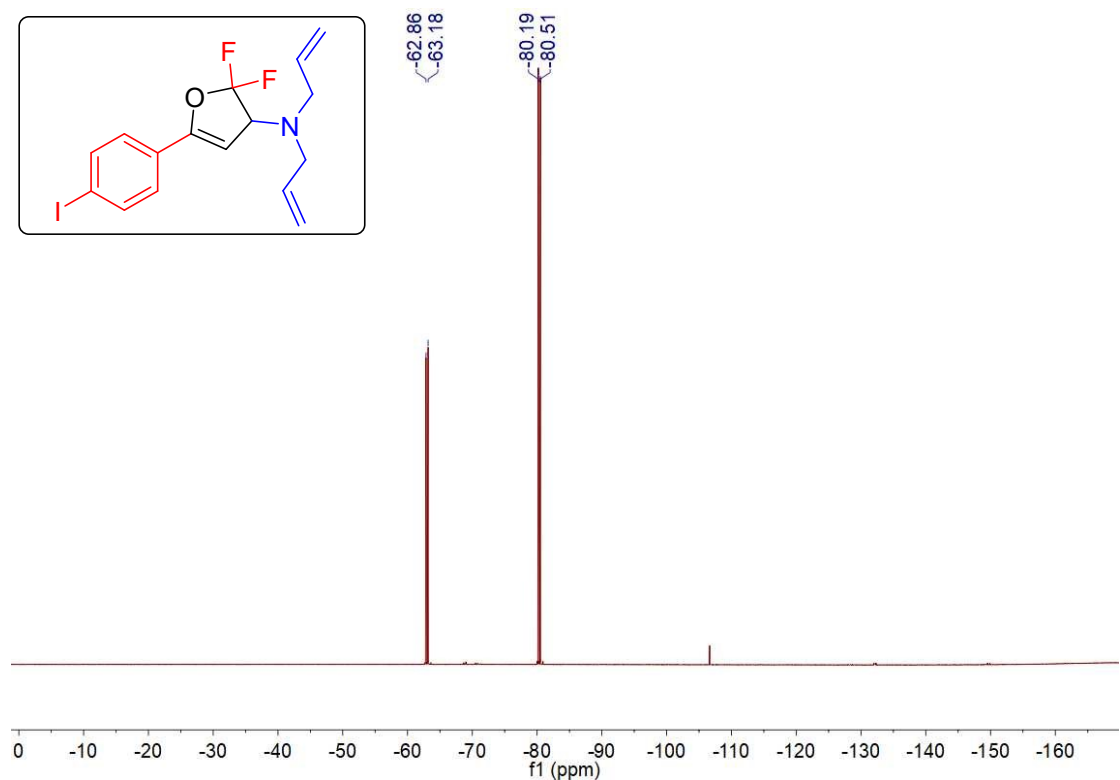
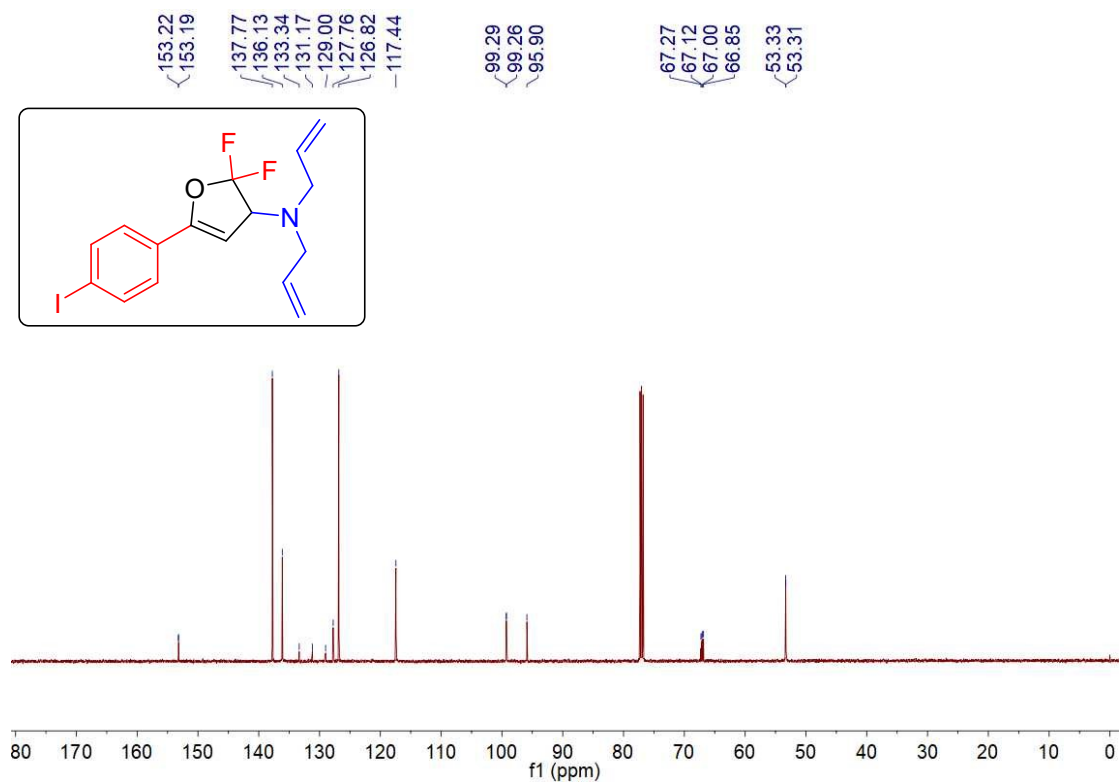
¹⁹F spectrum (CDCl₃) N,N-diallyl-5-(4-bromophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5ae)



¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-iodophenyl)-2,3-dihydrofuran-3-amine (5af)

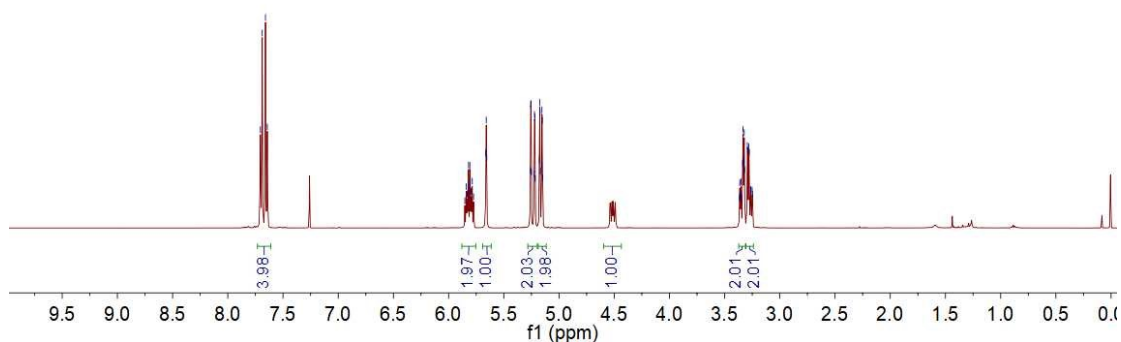
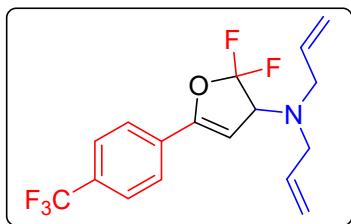


¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-iodophenyl)-2,3-dihydrofuran-3-amine (5af)

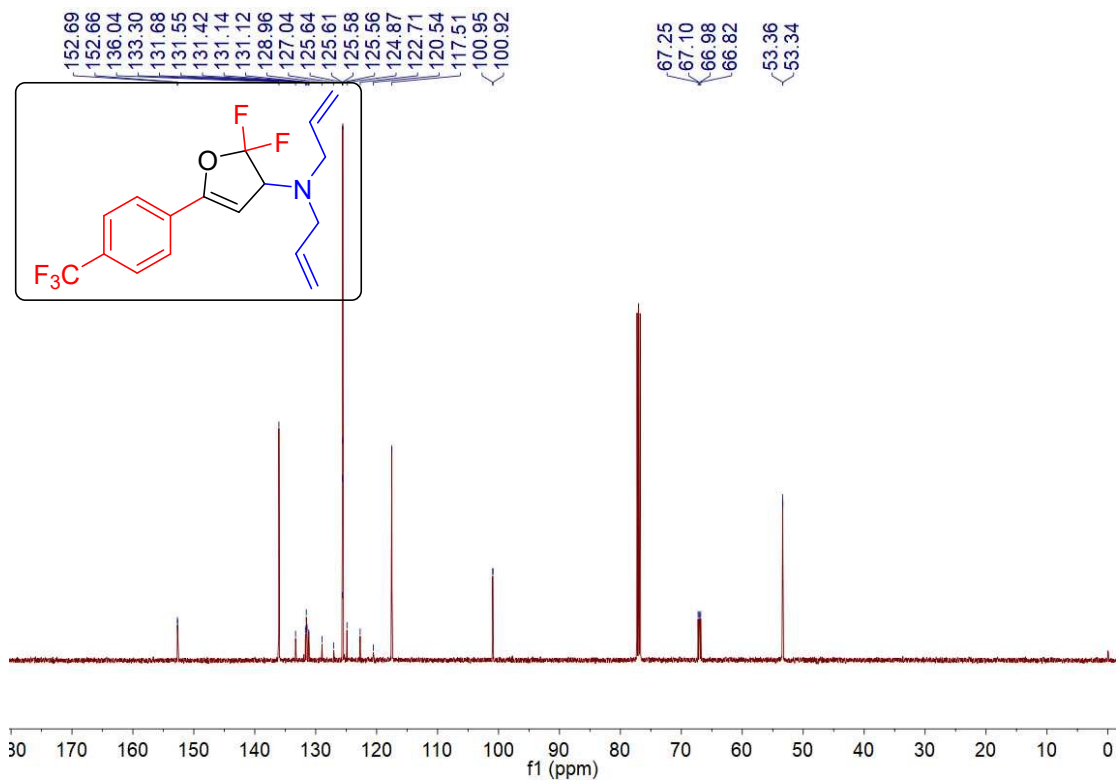


¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ag)

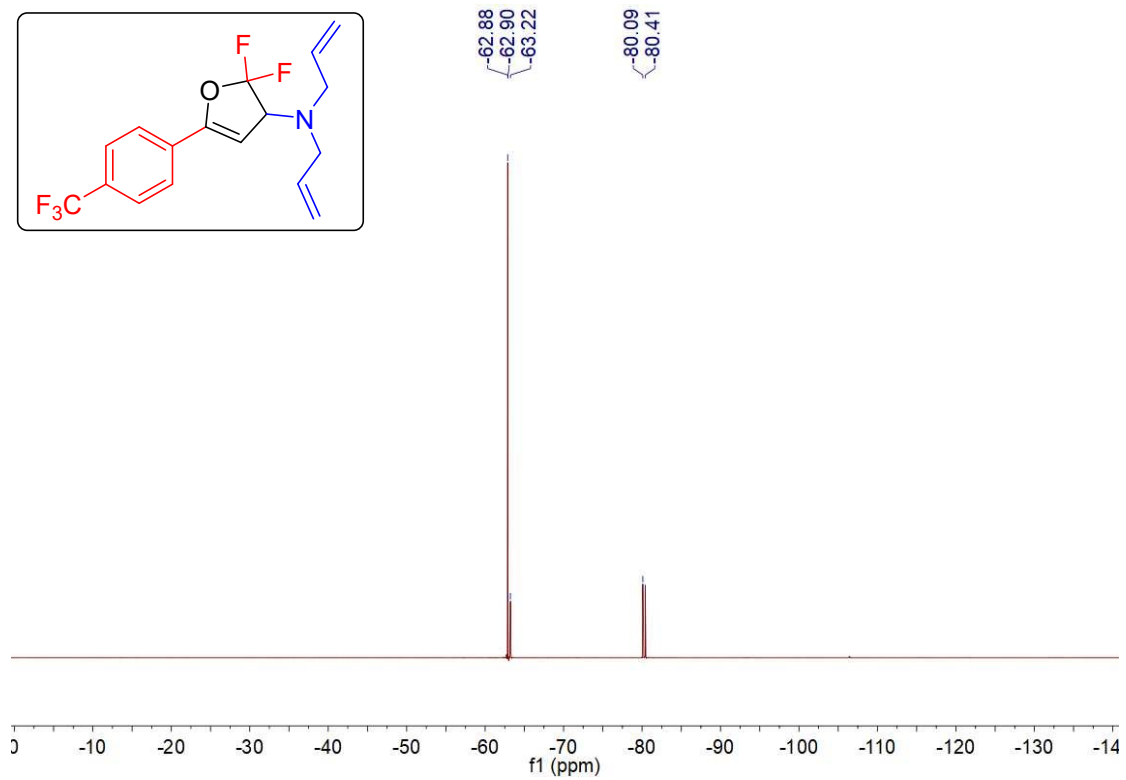
7.70
7.69
7.66
7.64
5.84
5.83
5.82
5.81
5.80
5.79
5.79
5.66
5.66
5.26
5.26
5.25
5.23
5.22
5.22
5.18
5.17
5.17
5.16
5.15
5.15
5.15
3.36
3.36
3.35
3.35
3.34
3.33
3.33
3.32
3.32
3.29
3.29
3.28
3.28
3.27
3.26
3.25
3.25



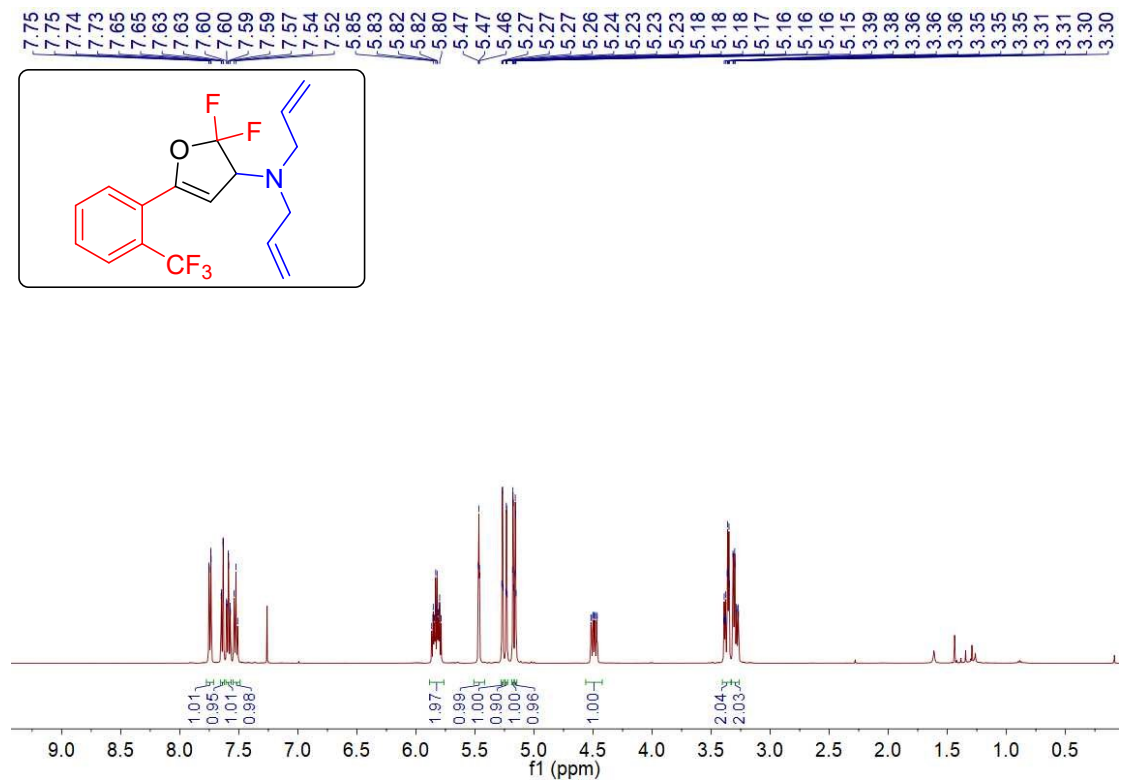
¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ag)



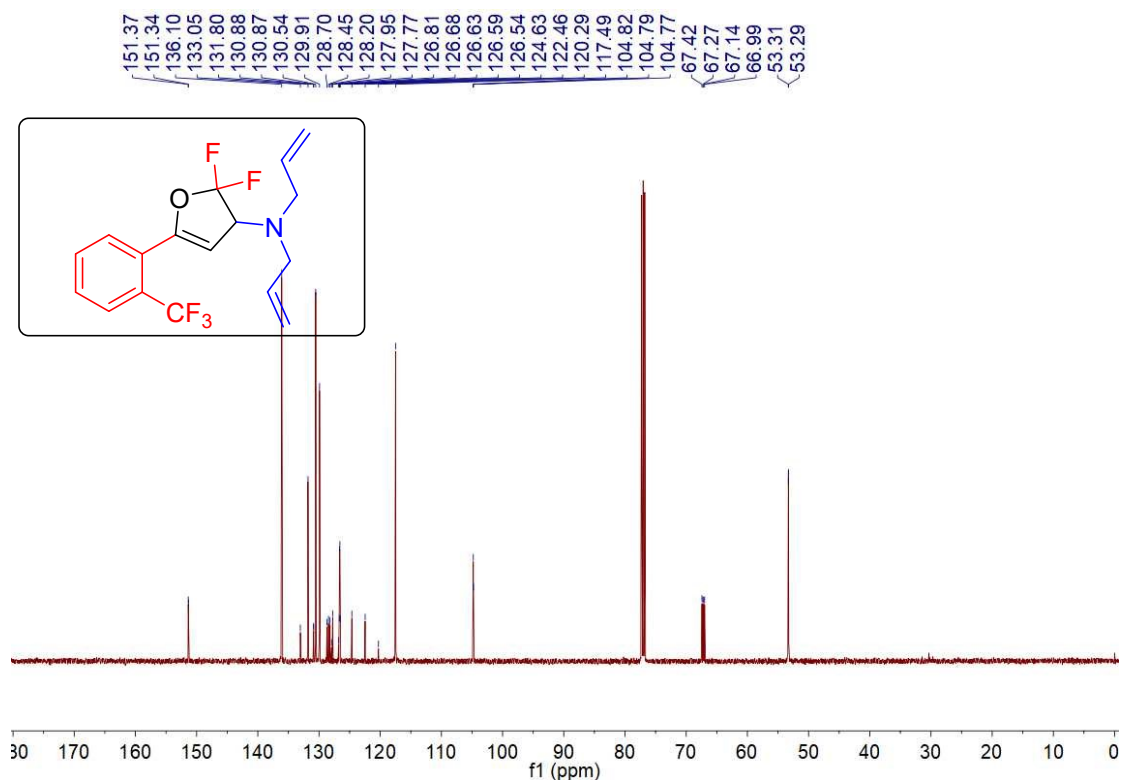
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ag)



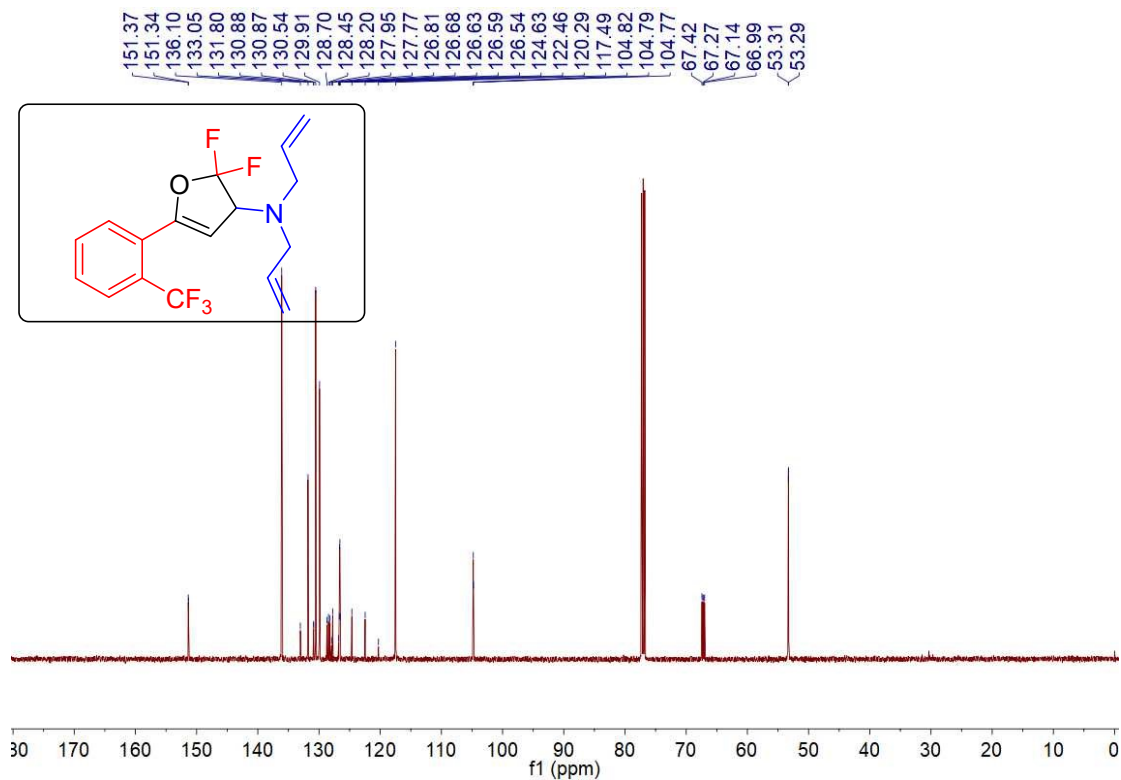
¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(2-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ah)



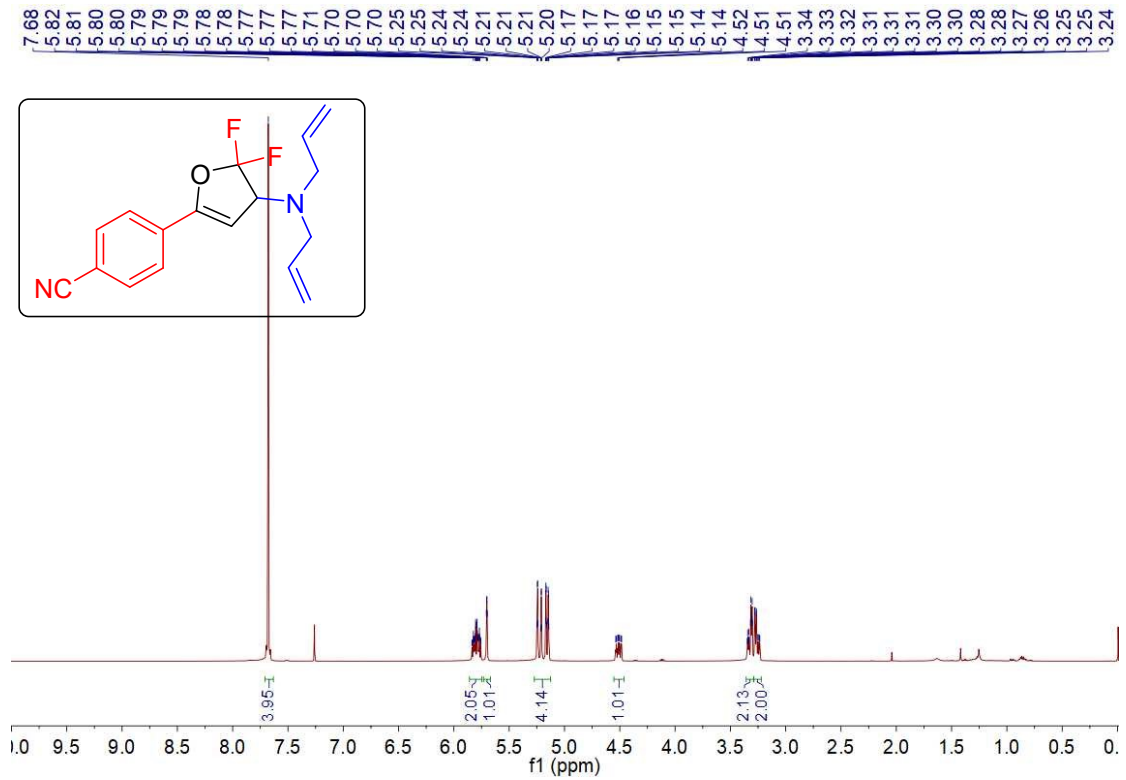
¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(2-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ah)



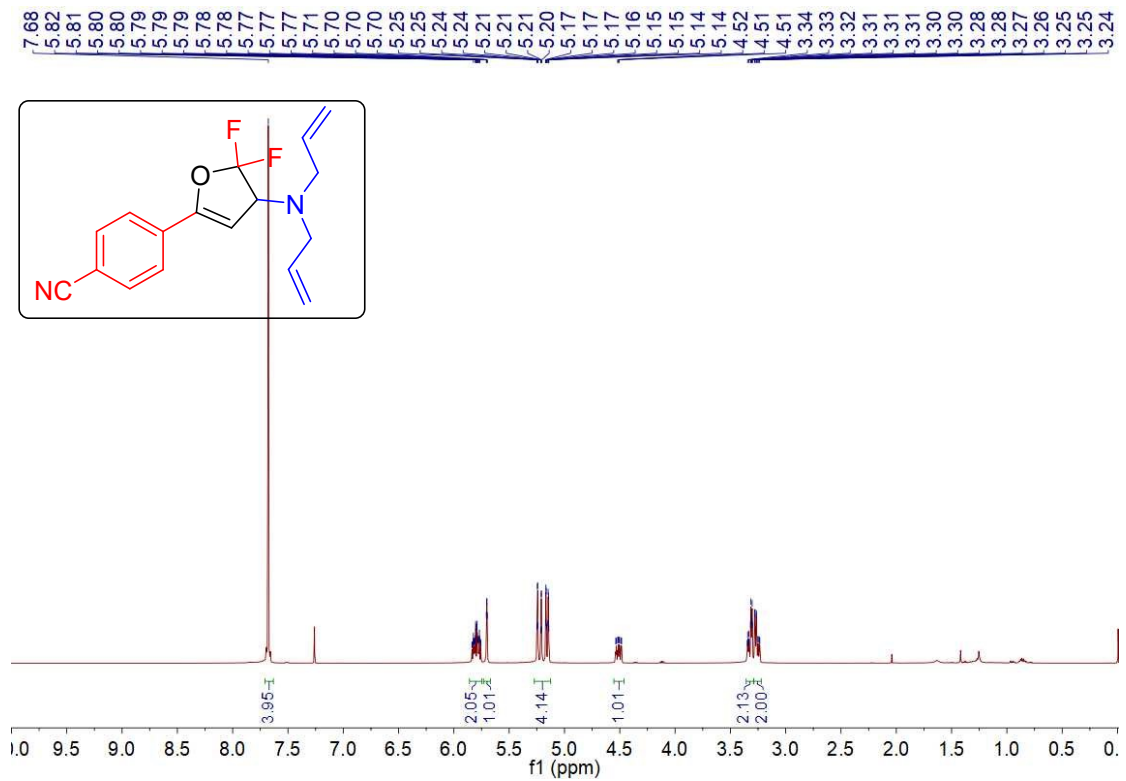
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(2-(trifluoromethyl)phenyl)-2,3-dihydrofuran-3-amine (5ah)



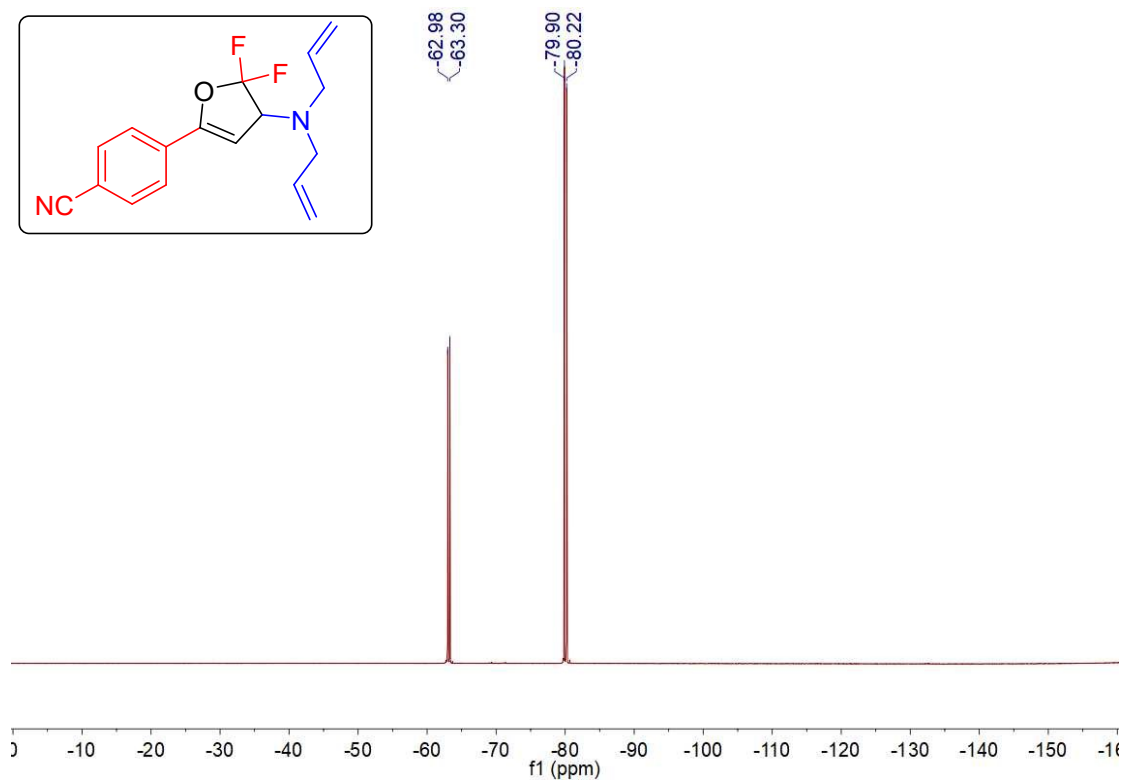
¹H spectrum (CDCl₃) 4-(4-(diallylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzotrile (5ai)



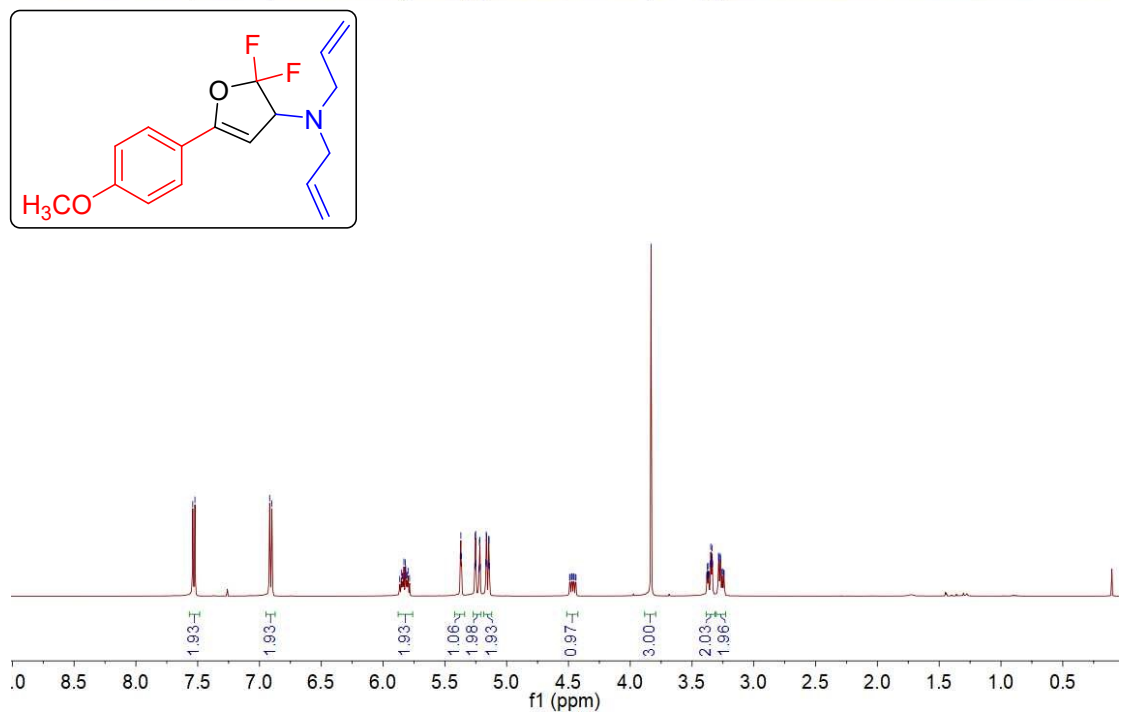
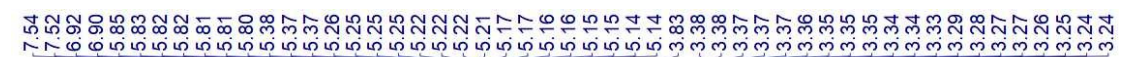
¹³C spectrum (CDCl₃) 4-(4-(diallylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzotrile (5ai)



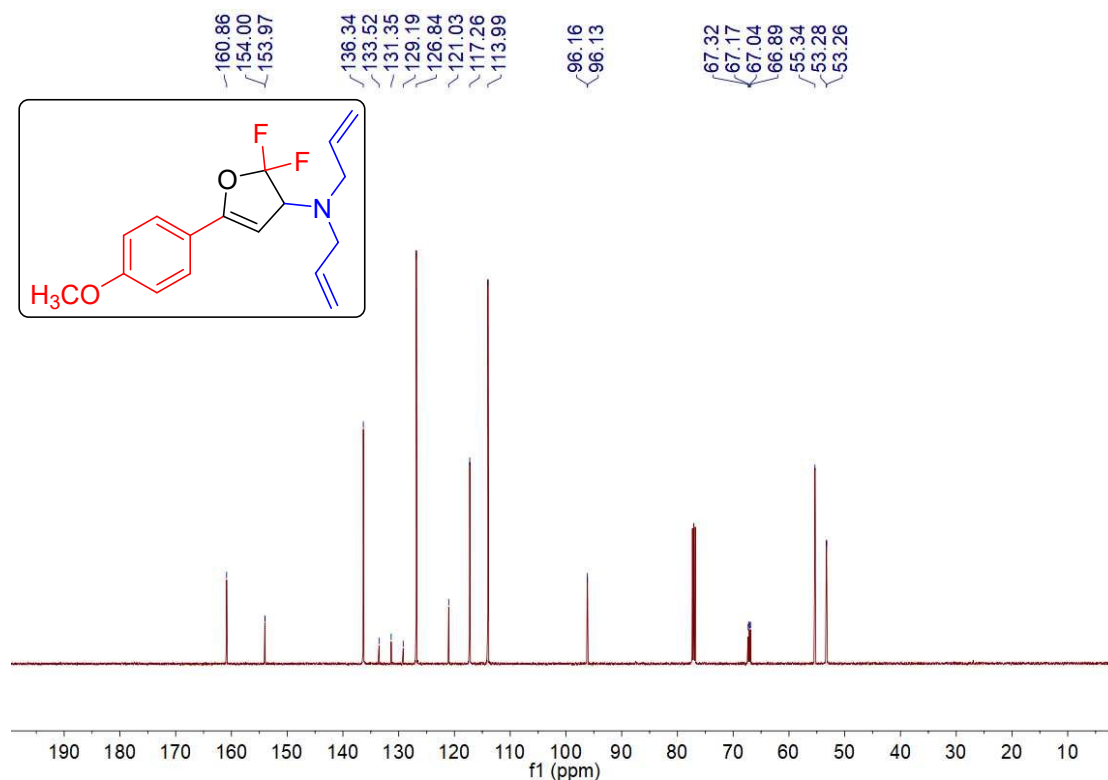
¹⁹F spectrum (CDCl₃) 4-(4-(diallylamino)-5,5-difluoro-4,5-dihydrofuran-2-yl)benzotrile (5ai)



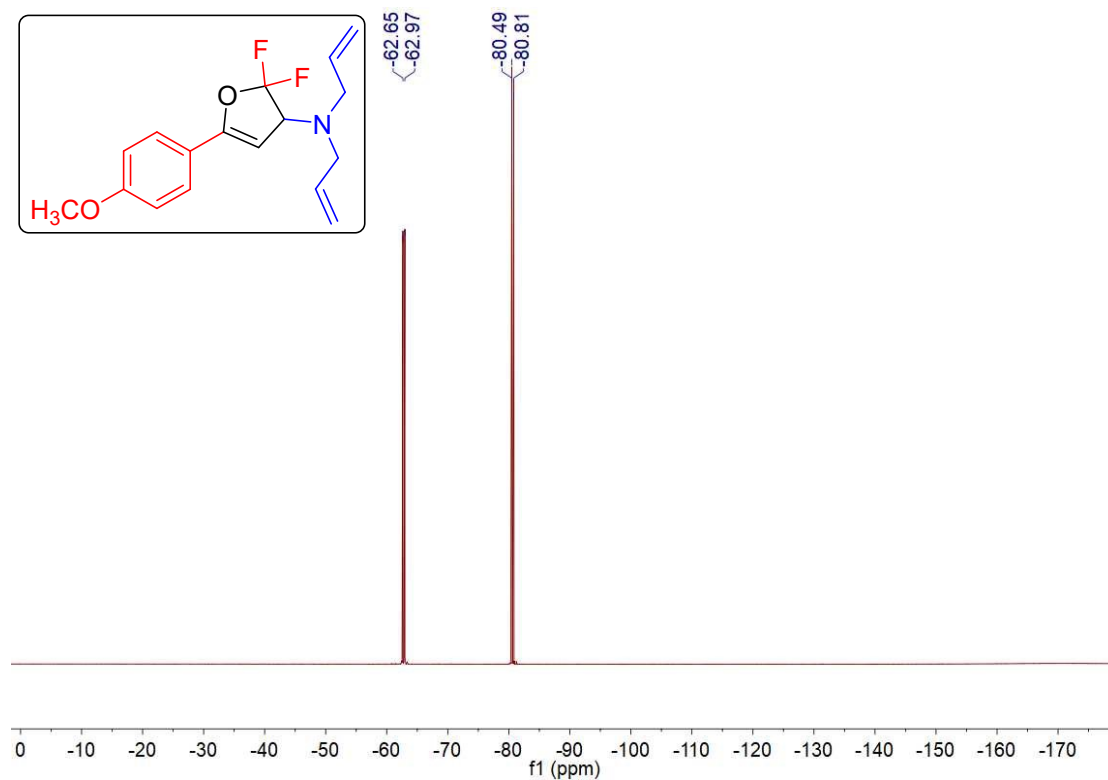
¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-amine (5aj)



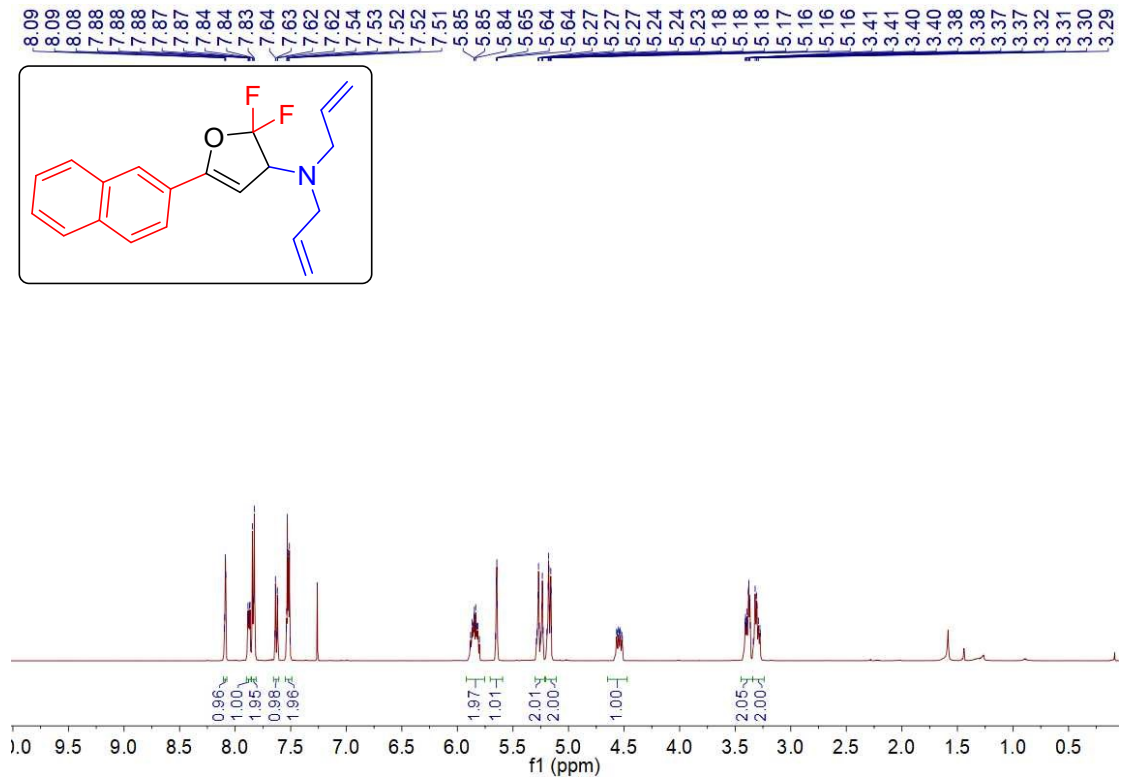
¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-amine (5aj)



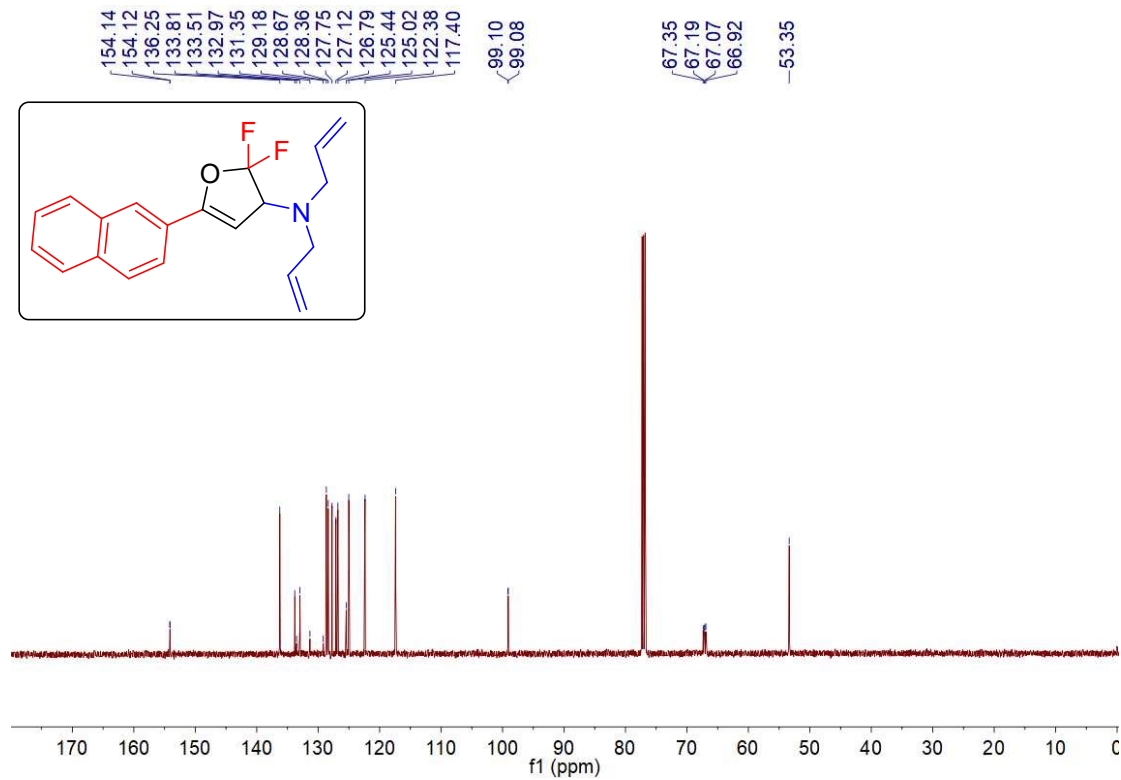
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(4-methoxyphenyl)-2,3-dihydrofuran-3-amine (**5aj**)



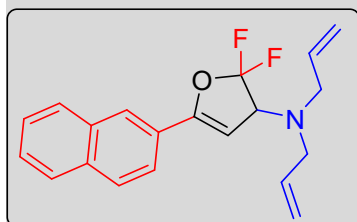
¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (**5ak**)



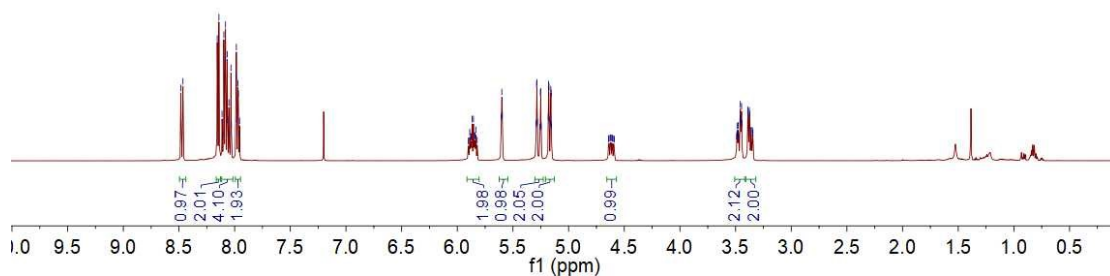
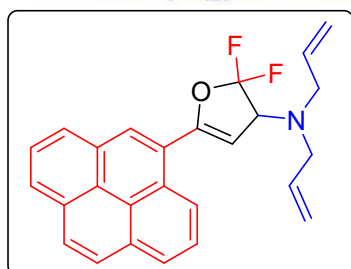
¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (5ak)



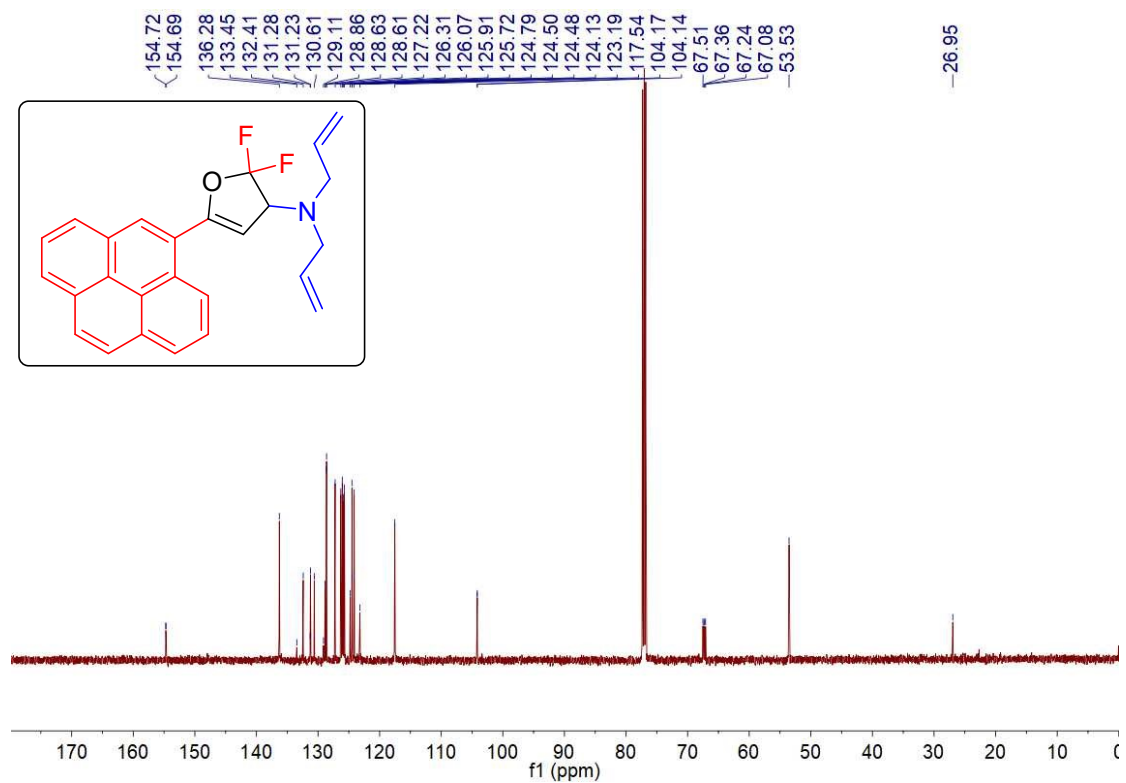
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(naphthalen-2-yl)-2,3-dihydrofuran-3-amine (5ak)



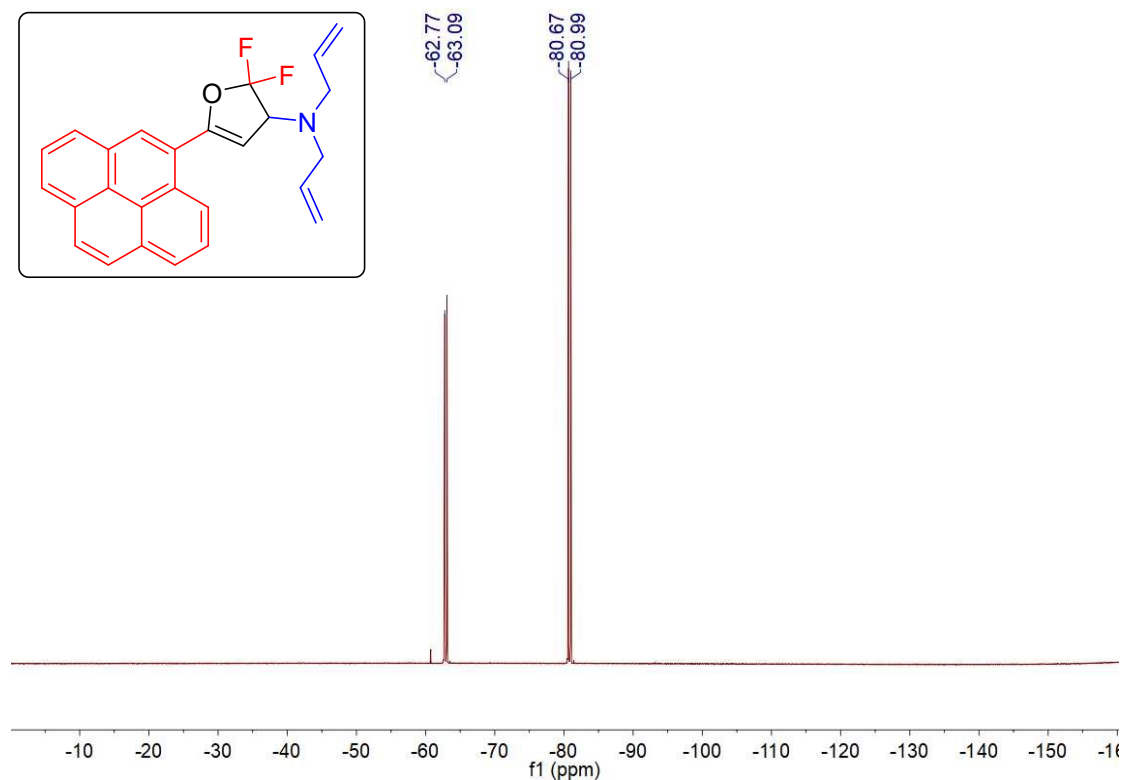
^1H spectrum (CDCl_3) N,N-diallyl-2,2-difluoro-5-(pyren-4-yl)-2,3-dihydrofuran-3-amine (5a)



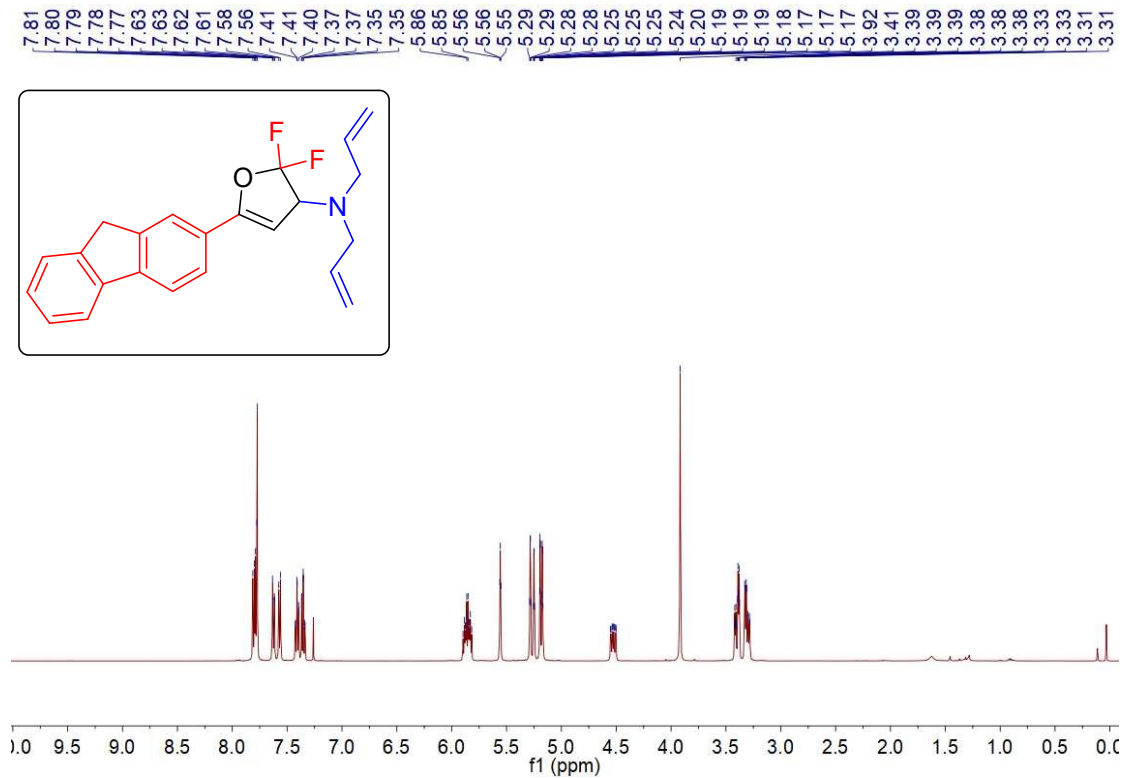
^{13}C spectrum (CDCl_3) N,N-diallyl-2,2-difluoro-5-(pyren-4-yl)-2,3-dihydrofuran-3-amine (5a)



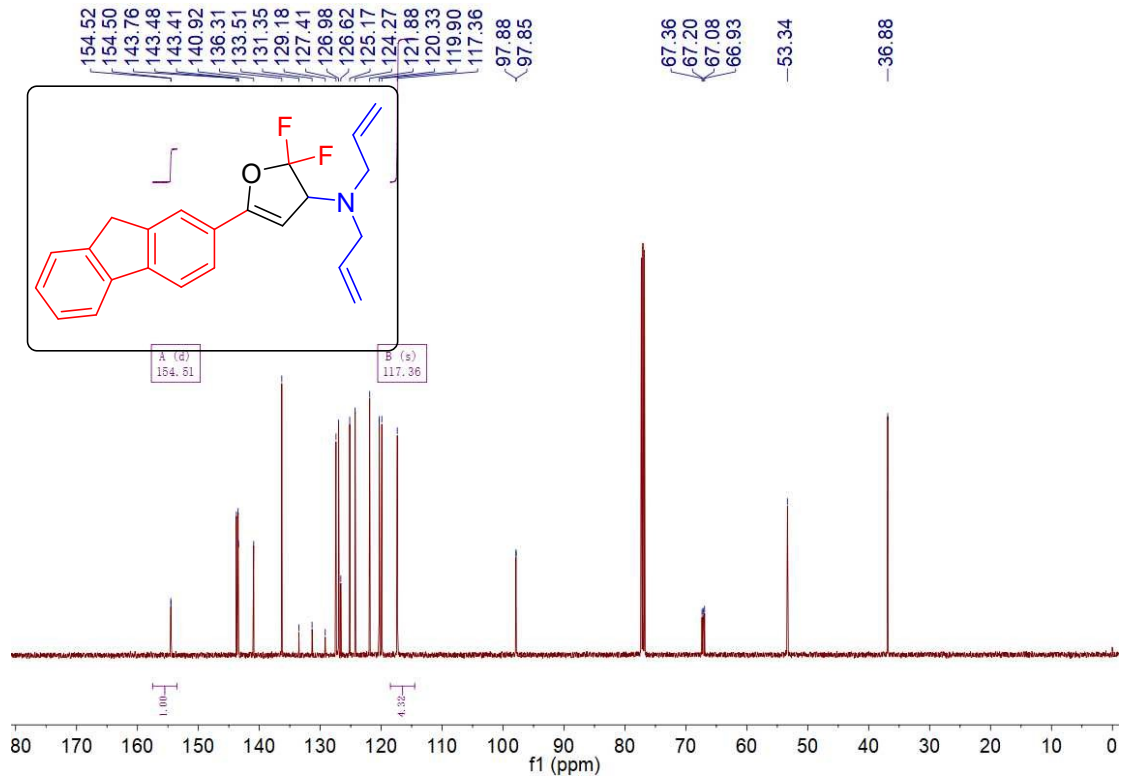
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(pyren-4-yl)-2,3-dihydrofuran-3-amine (5a)



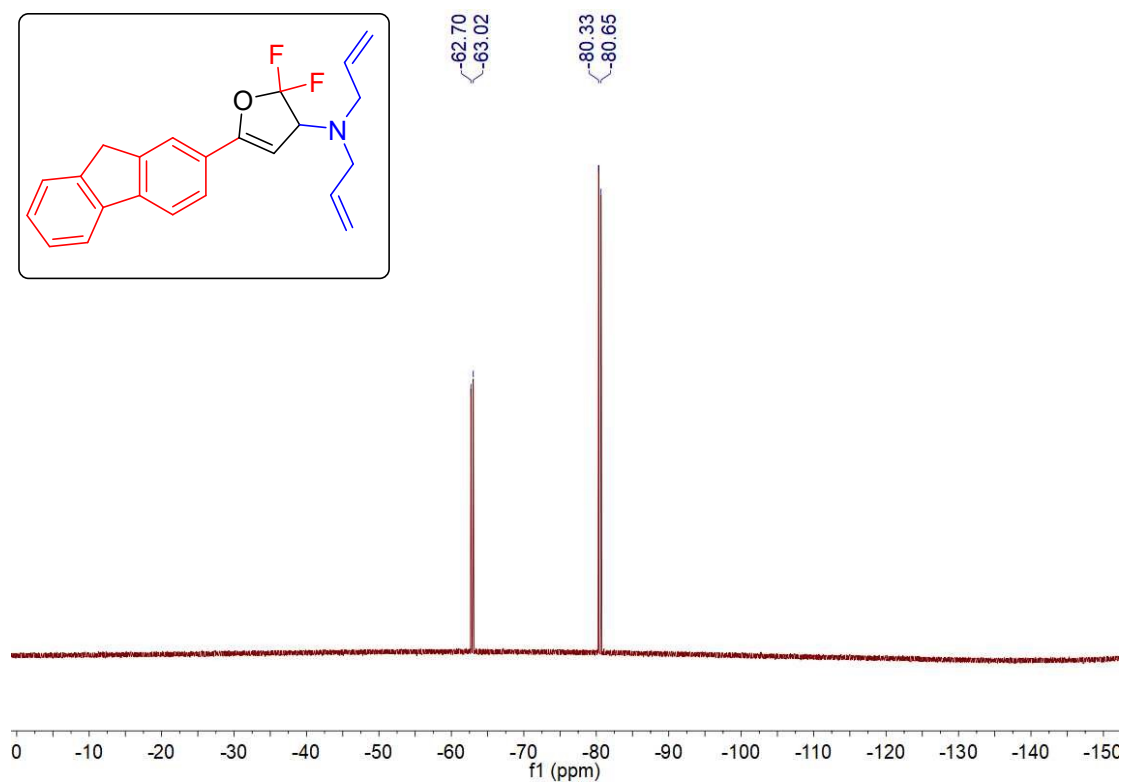
¹H spectrum (CDCl₃) N,N-diallyl-5-(9H-fluoren-2-yl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5am)



¹³C spectrum (CDCl₃) N,N-diallyl-5-(9H-fluoren-2-yl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5am)

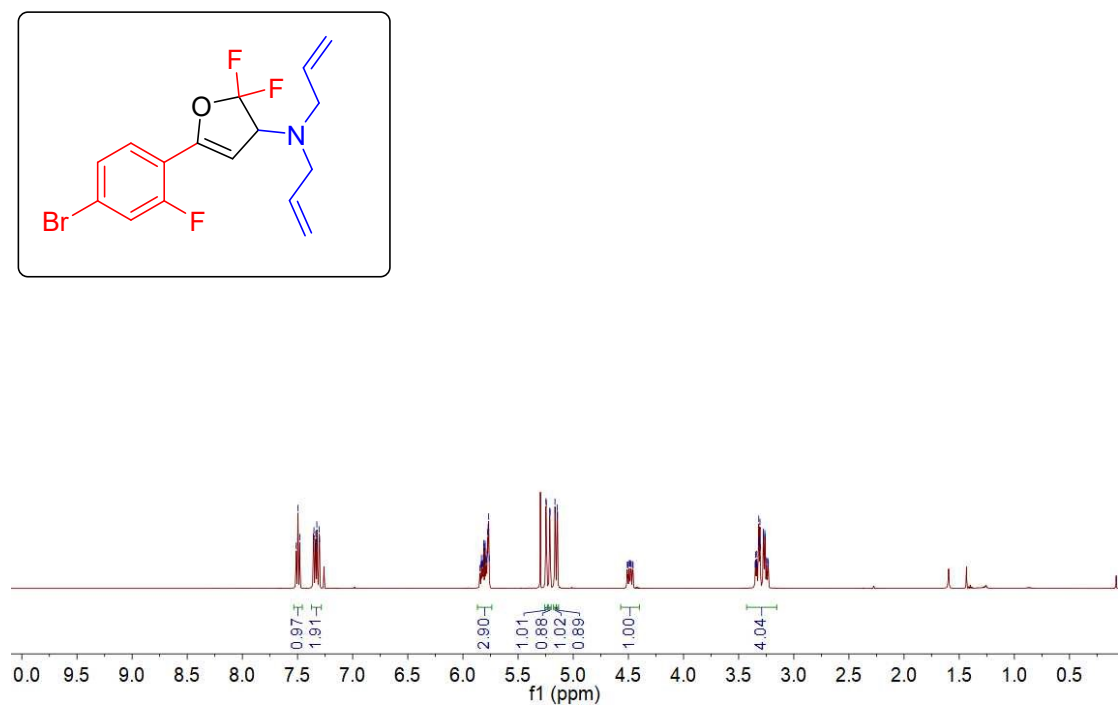


¹⁹F spectrum (CDCl₃) N,N-diallyl-5-(9H-fluoren-2-yl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5am)

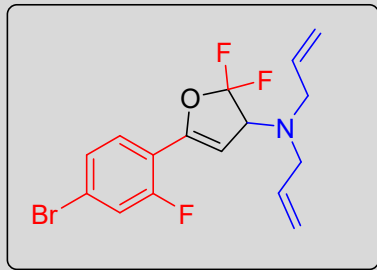


^1H spectrum (CDCl_3) **N,N**-diallyl-5-(4-bromo-2-fluorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (**5an**)

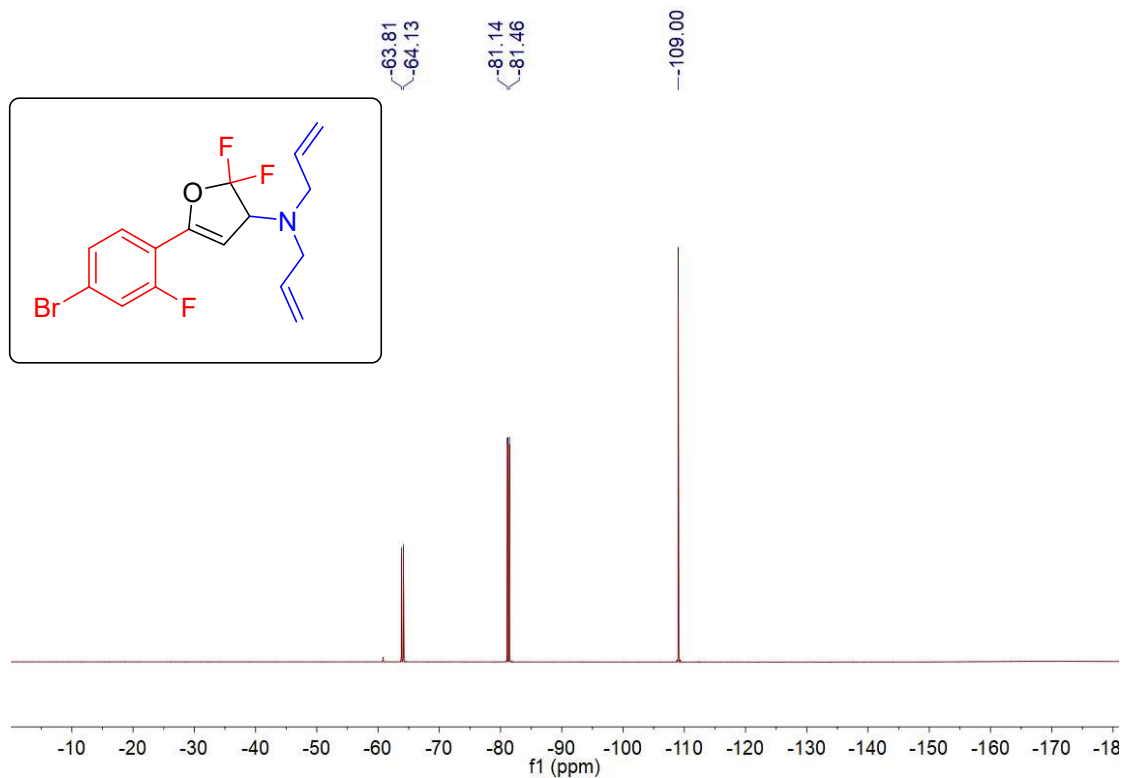
7.51
7.50
7.48
7.36
7.35
7.34
7.33
7.33
7.32
7.30
7.30
5.83
5.81
5.81
5.80
5.80
5.80
5.79
5.79
5.78
5.78
5.77
5.77
5.76
5.76
5.25
5.24
5.21
5.21
5.17
5.16
5.15
5.14
4.49
3.35
3.34
3.34
3.32
3.32
3.31
3.31
3.31
3.30
3.28
3.27
3.26
3.26
3.25
3.24
3.23
3.23



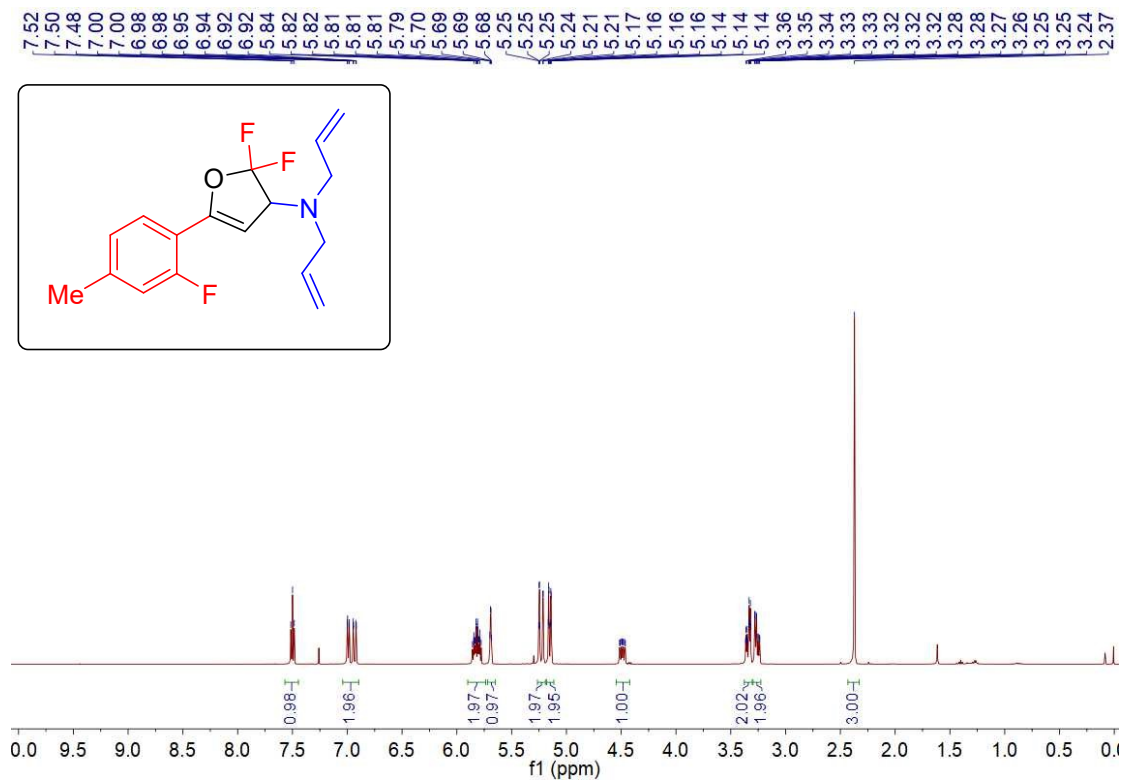
^{13}C spectrum (CDCl_3) **N,N**-diallyl-5-(4-bromo-2-fluorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (**5an**)



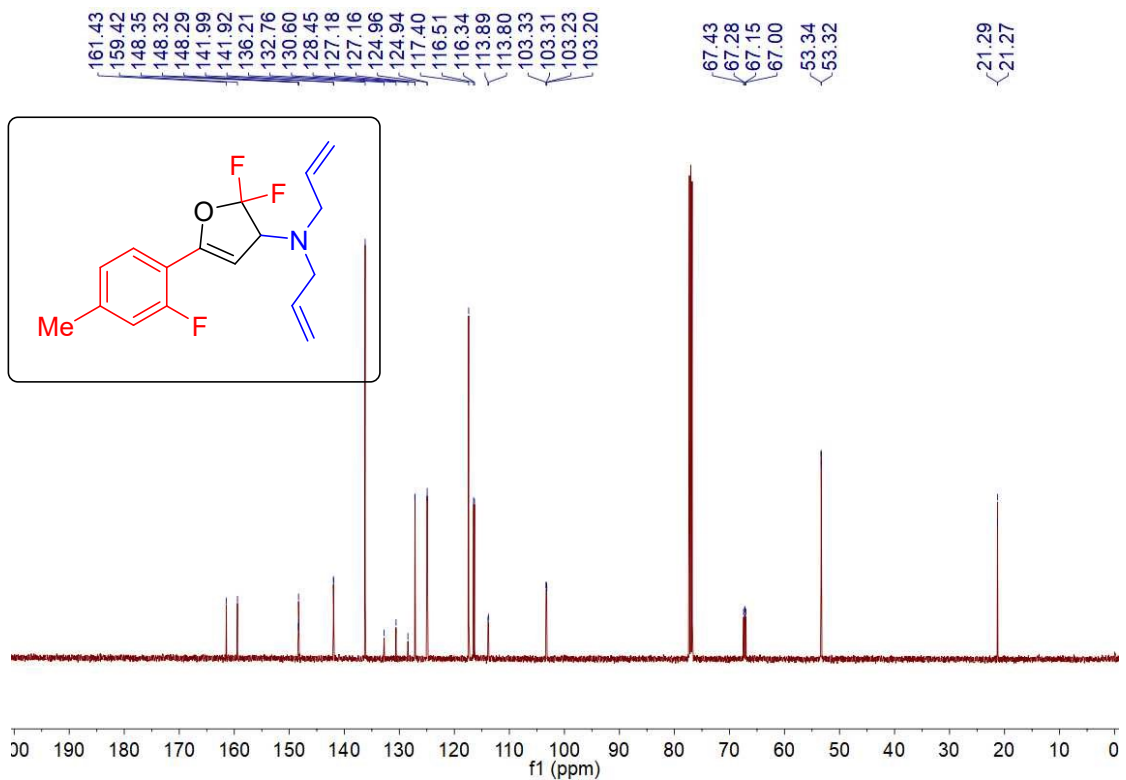
^{19}F spectrum (CDCl_3) N,N-diallyl-5-(4-bromo-2-fluorophenyl)-2,2-difluoro-2,3-dihydrofuran-3-amine (5an)



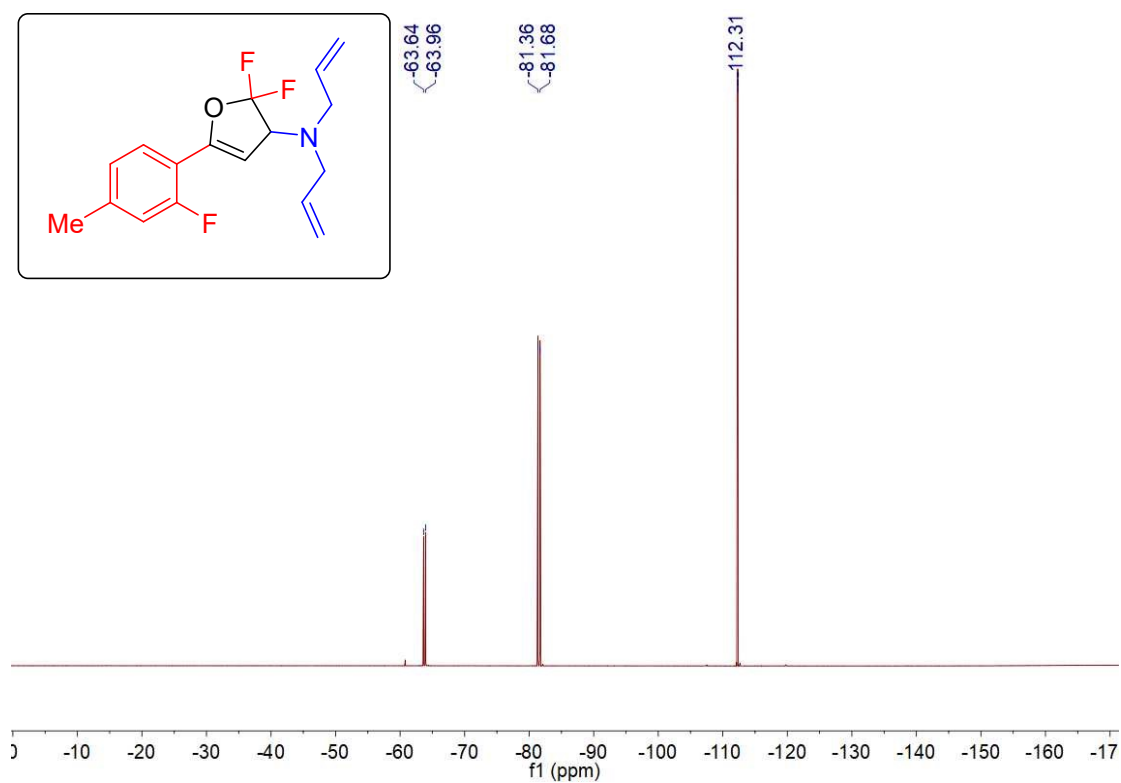
^1H spectrum (CDCl_3) N,N-diallyl-2,2-difluoro-5-(2-fluoro-4-methylphenyl)-2,3-dihydrofuran-3-amine (5ao)



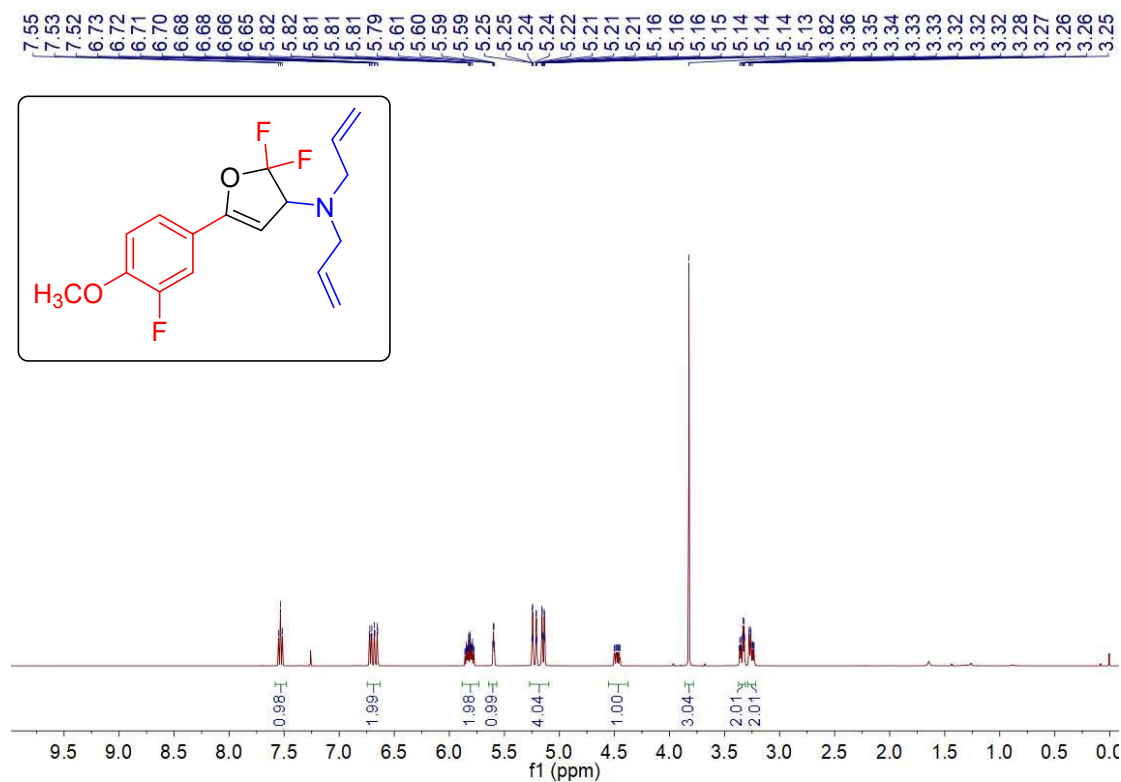
¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(2-fluoro-4-methylphenyl)-2,3-dihydrofuran-3-amine (5ao)



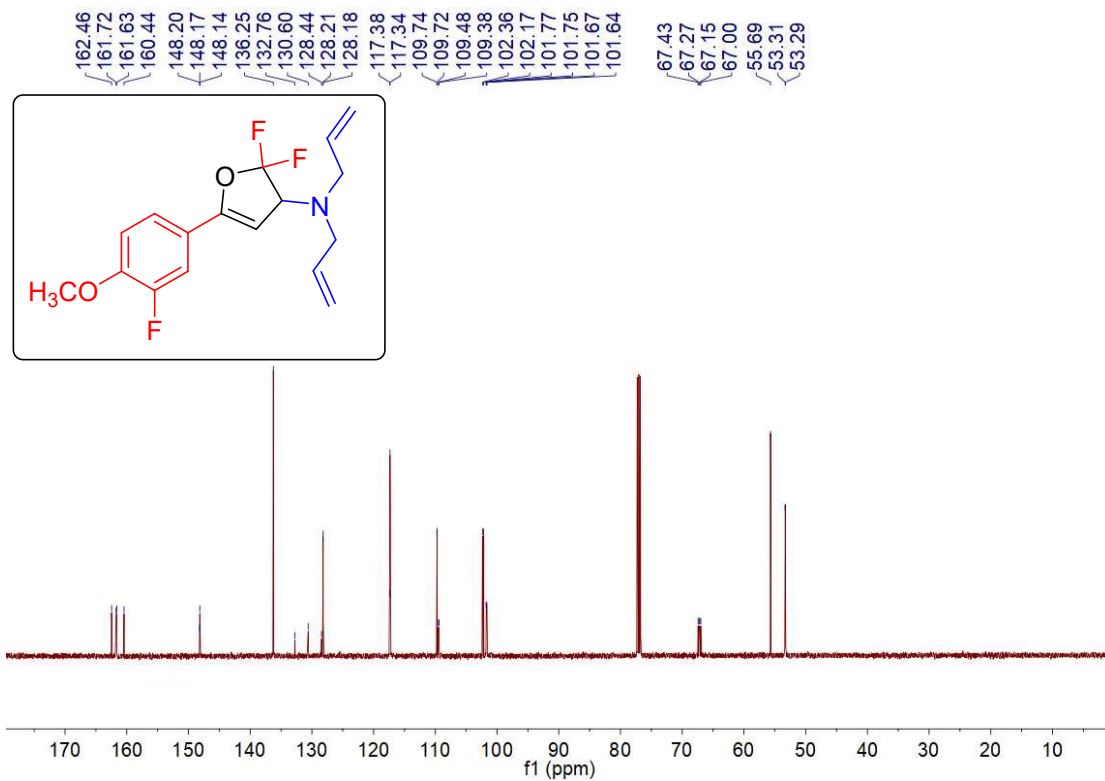
¹⁹F spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(2-fluoro-4-methylphenyl)-2,3-dihydrofuran-3-amine (5ao)



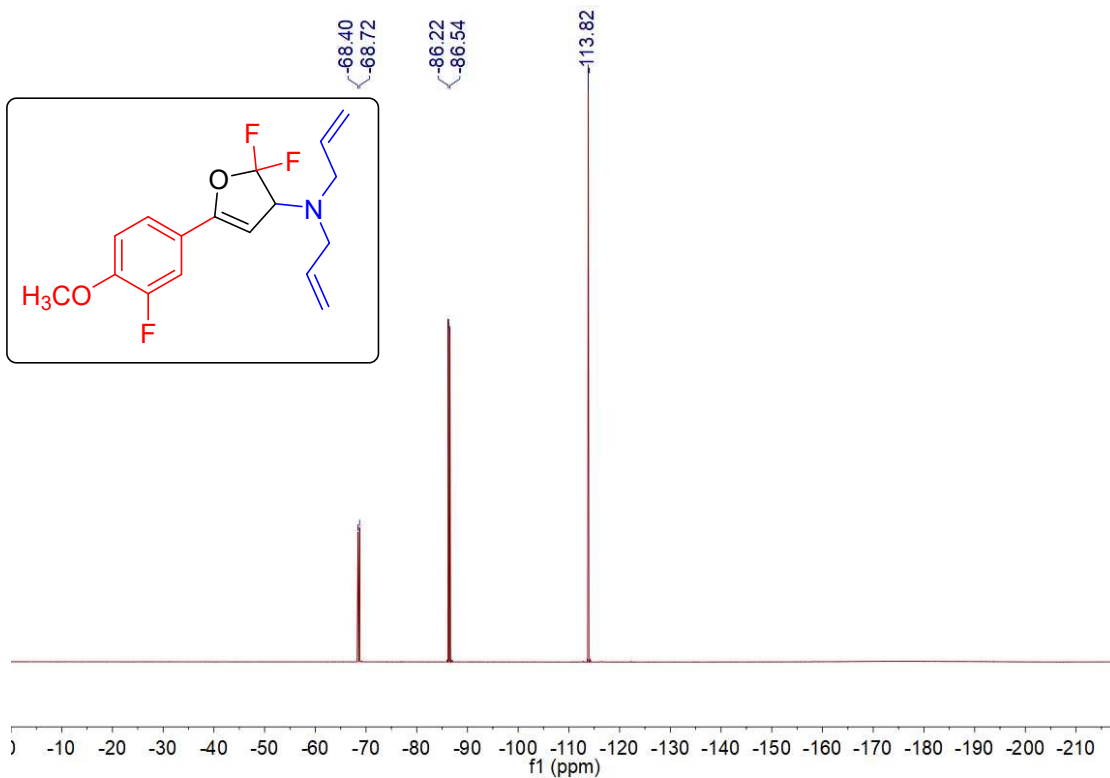
¹H spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(3-fluoro-4-methoxyphenyl)-2,3-dihydrofuran-3-amine (5ap)



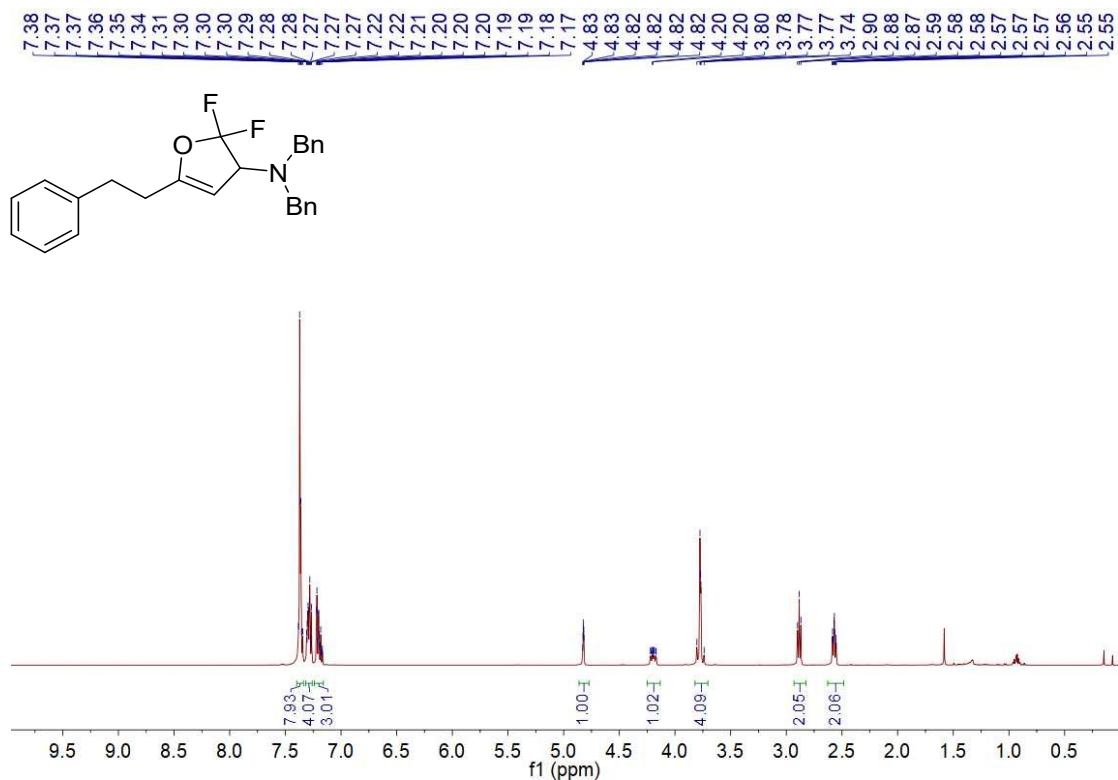
¹³C spectrum (CDCl₃) N,N-diallyl-2,2-difluoro-5-(3-fluoro-4-methoxyphenyl)-2,3-dihydrofuran-3-amine (5ap)



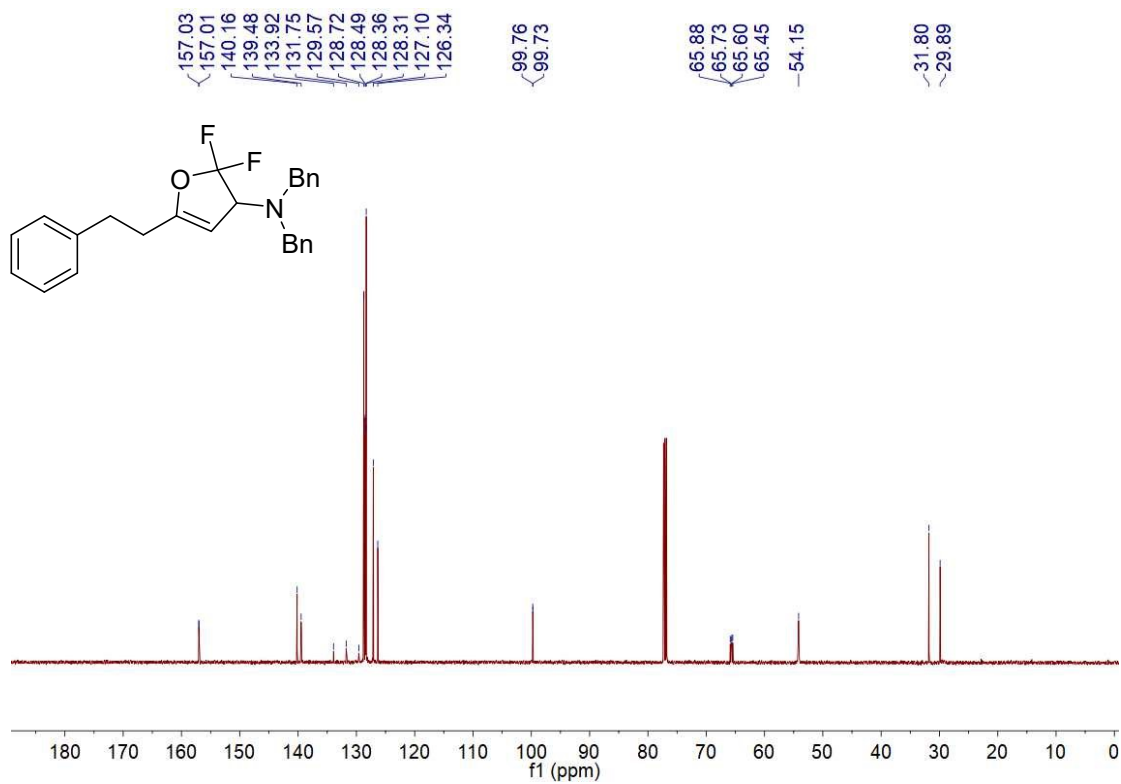
^{19}F spectrum (CDCl_3) N,N-diallyl-2,2-difluoro-5-(3-fluoro-4-methoxyphenyl)-2,3-dihydrofuran-3-amine (**5a**)



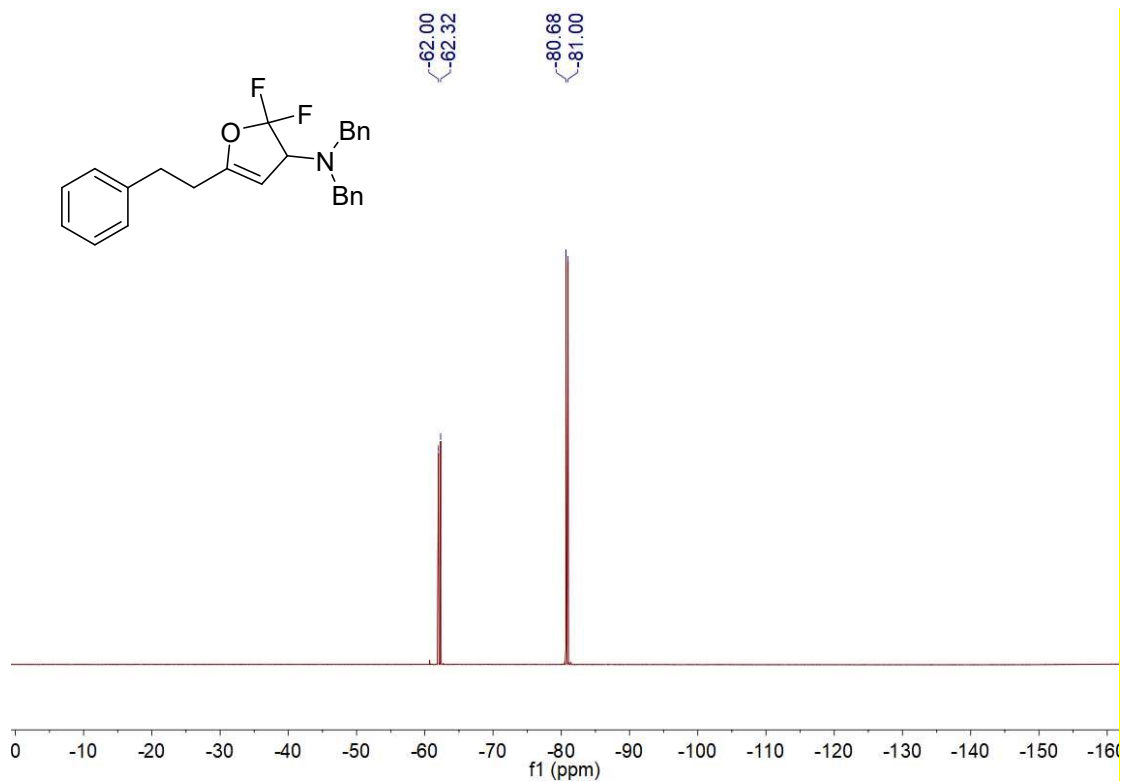
¹H spectrum (CDCl₃) N,N-dibenzyl-2,2-difluoro-5-phenethyl-2,3-dihydrofuran-3-amine(5aq)



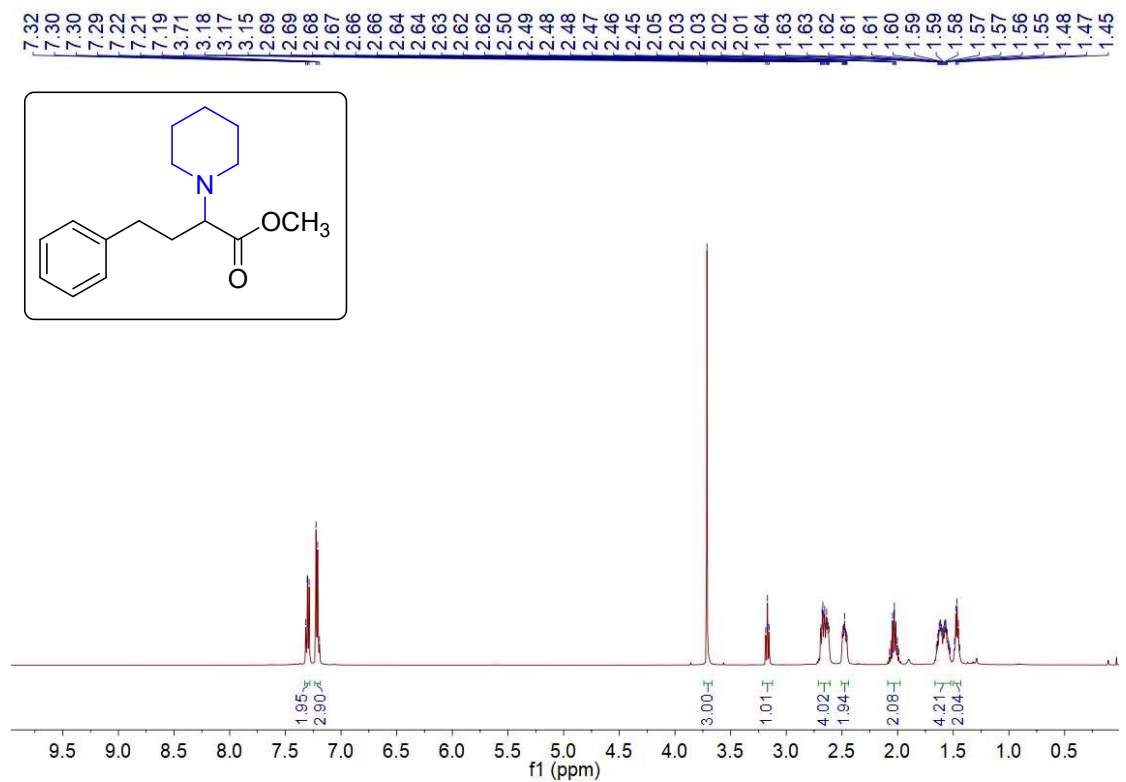
¹³C spectrum (CDCl₃) N,N-dibenzyl-2,2-difluoro-5-phenethyl-2,3-dihydrofuran-3-amine(5aq)



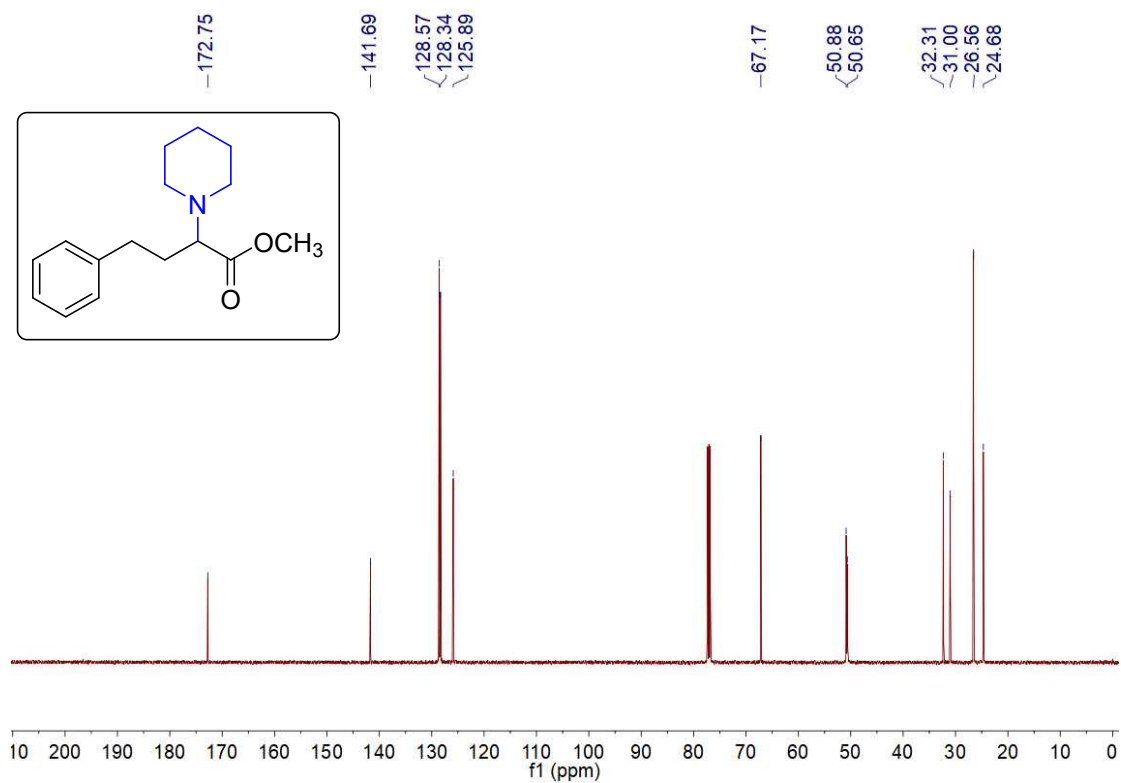
¹⁹F spectrum (CDCl₃) N,N-dibenzyl-2,2-difluoro-5-phenethyl-2,3-dihydrofuran-3-amine(5a)



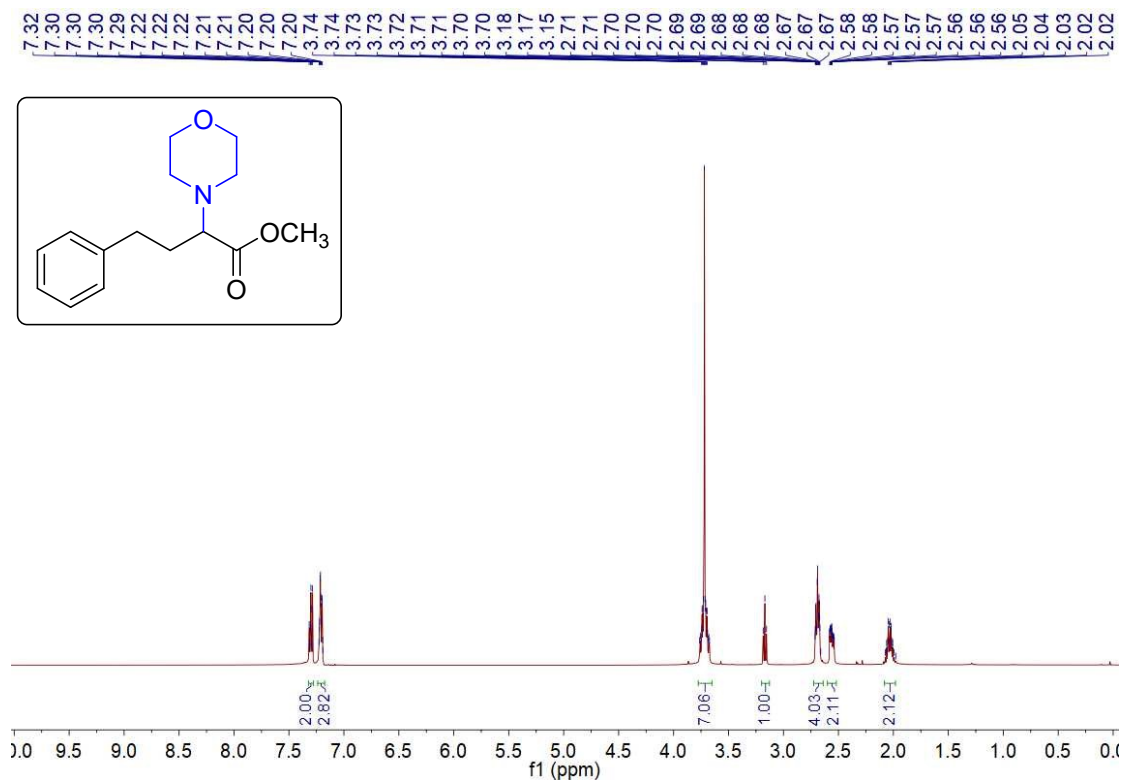
¹H spectrum (CDCl₃) methyl 4-phenyl-2-(piperidin-1-yl)butanoate (6a)



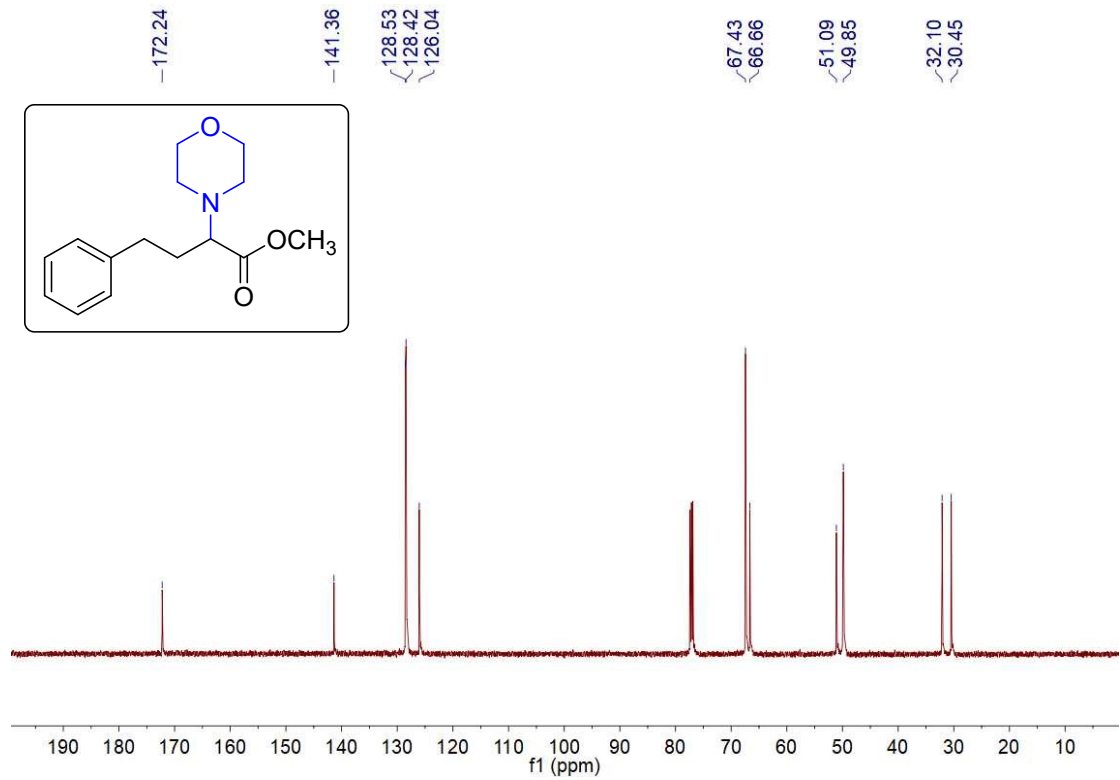
¹³C spectrum (CDCl₃) methyl 4-phenyl-2-(piperidin-1-yl)butanoate (6a)



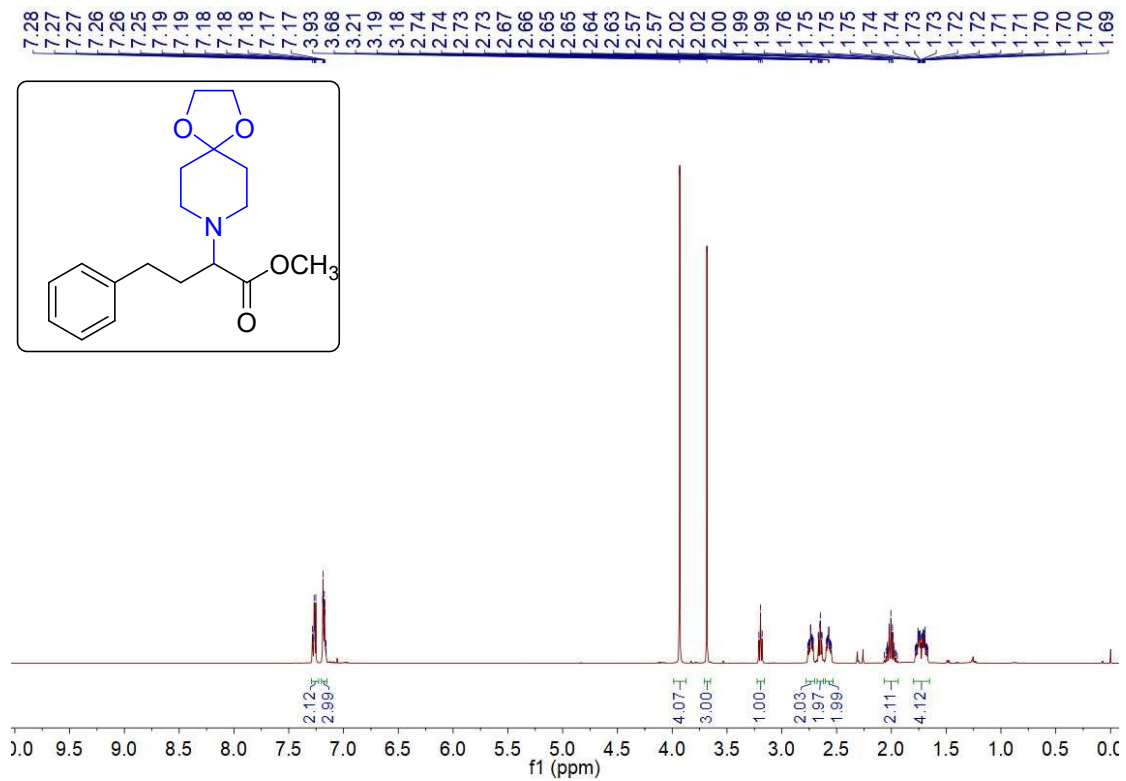
¹H spectrum (CDCl₃) methyl 2-morpholino-4-phenylbutanoate (6b)



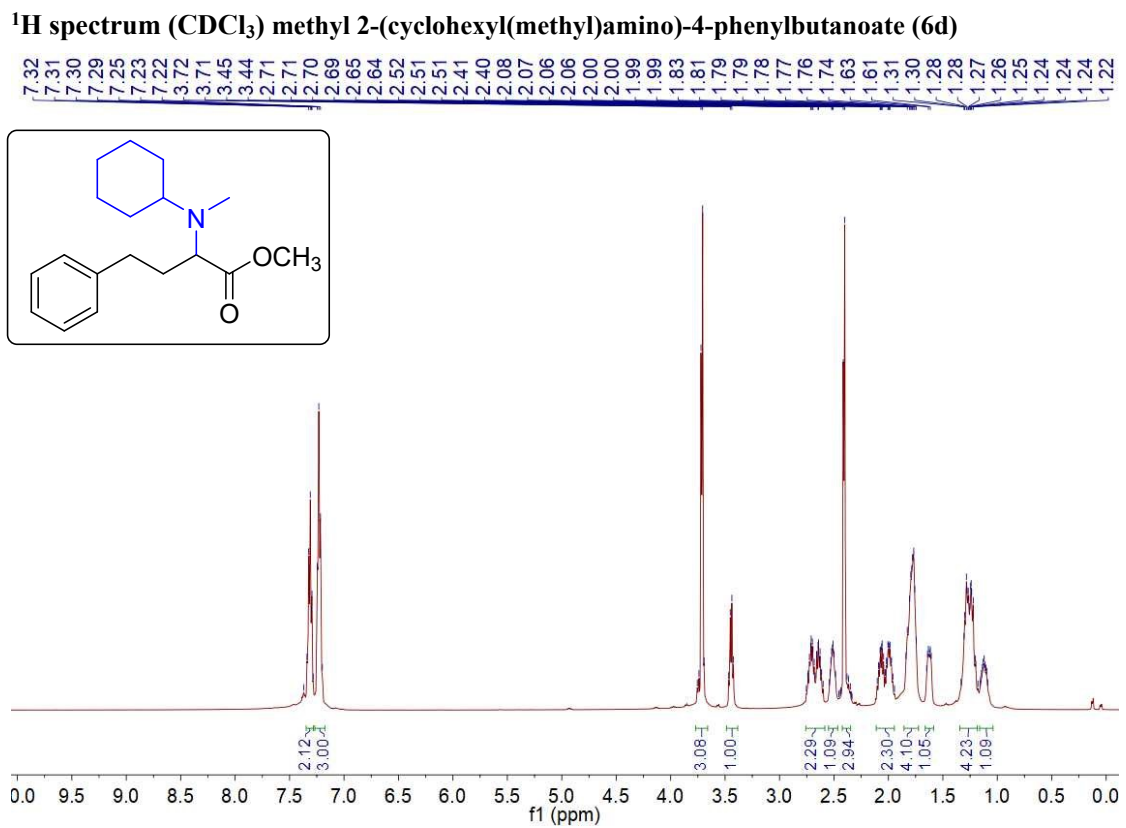
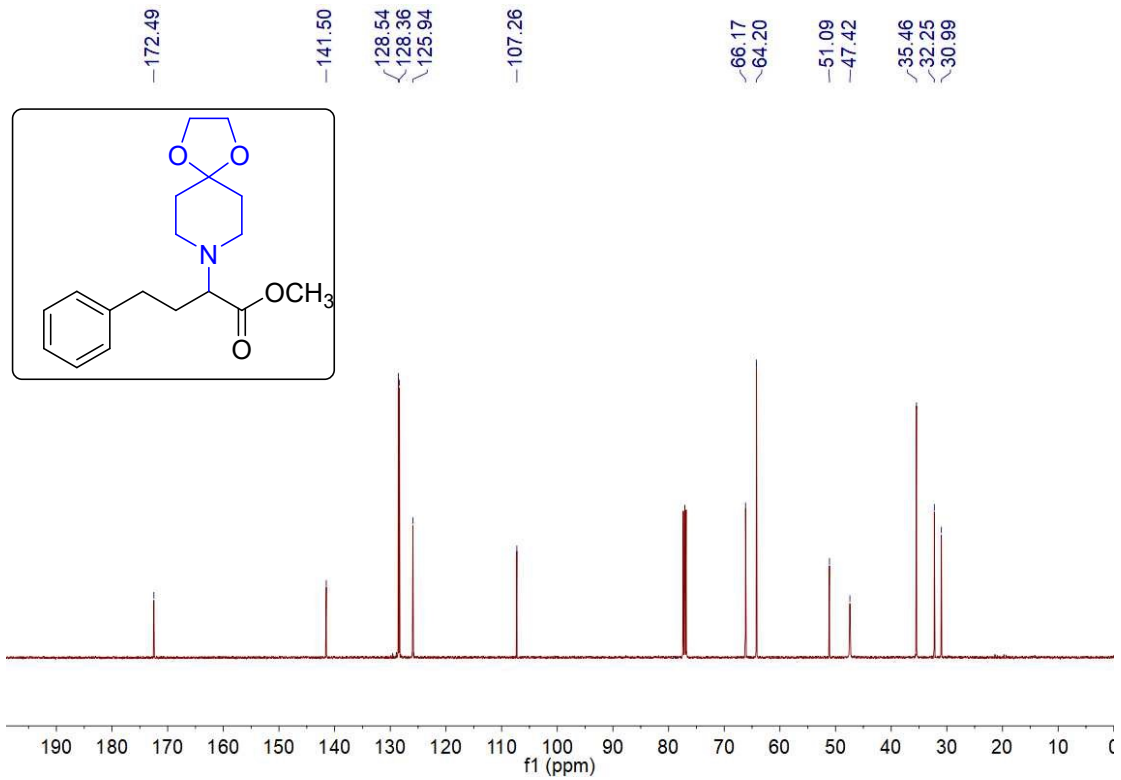
¹³C spectrum (CDCl₃) methyl 2-morpholino-4-phenylbutanoate (6b)



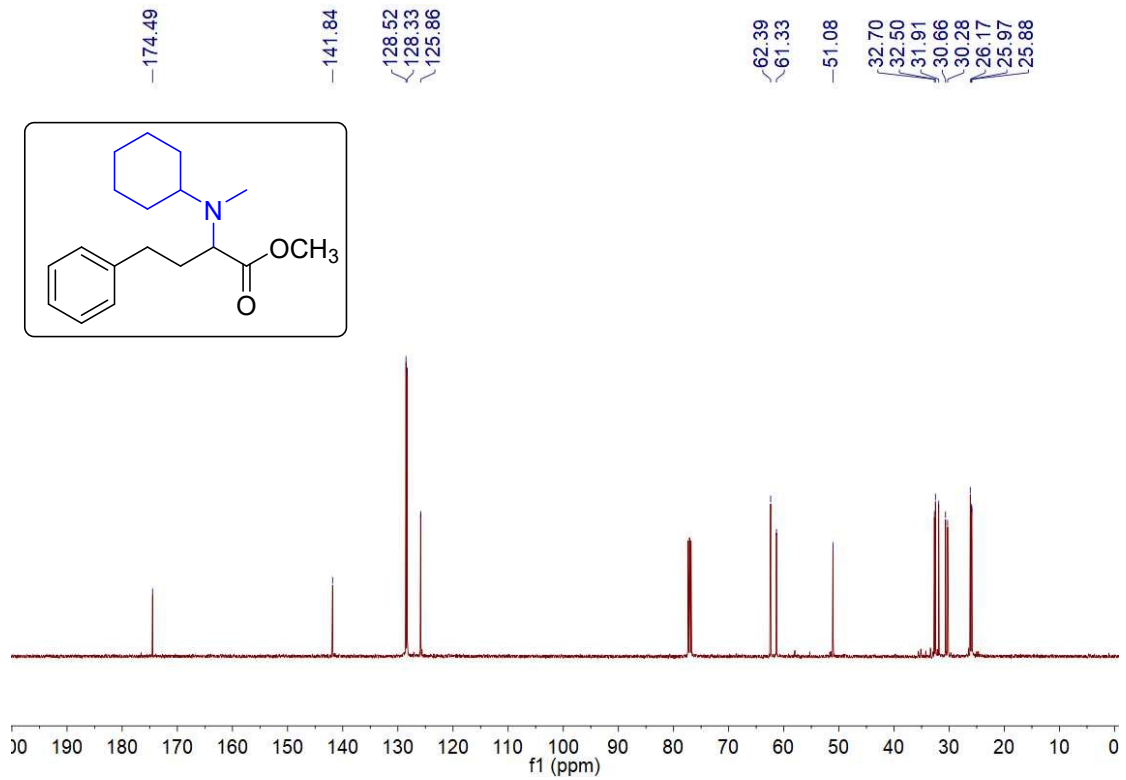
¹H spectrum (CDCl₃) methyl 4-phenyl-2-(1,4-dioxo-8-azaspiro[4.5]decan-8-yl)butanoate (6c)



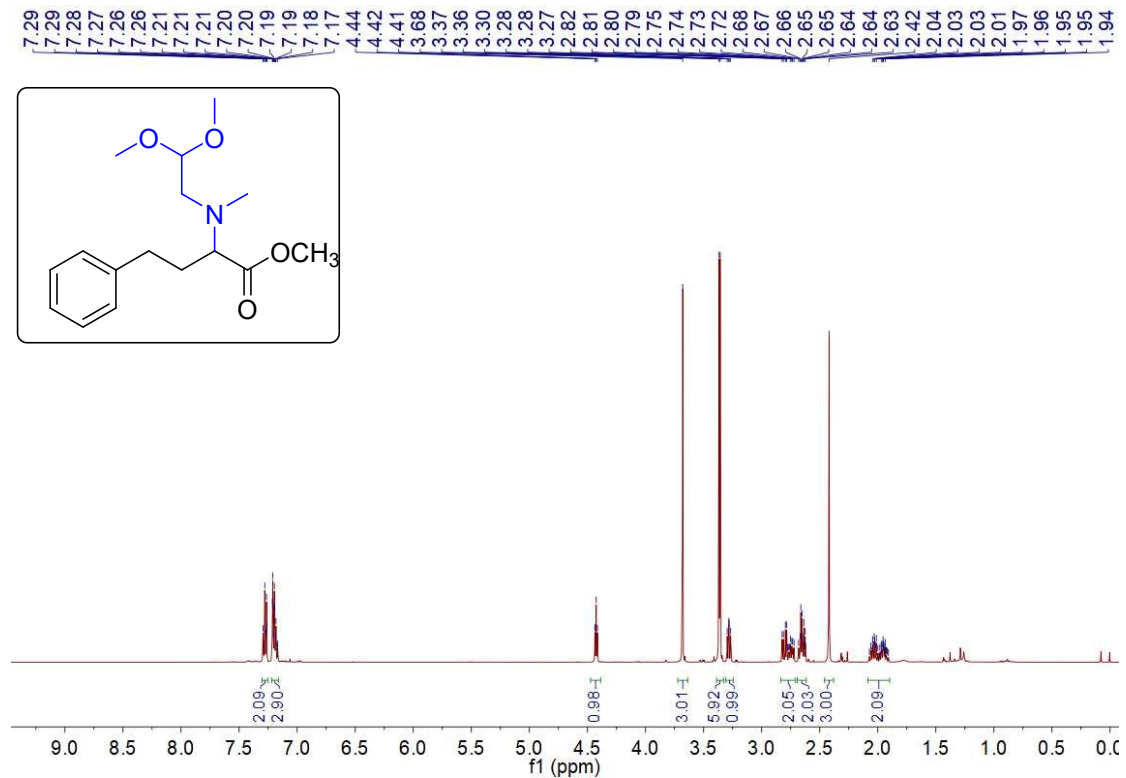
¹³C spectrum (CDCl₃) methyl 4-phenyl-2-(1,4-dioxo-8-azaspiro[4.5]decan-8-yl)butanoate (6c)



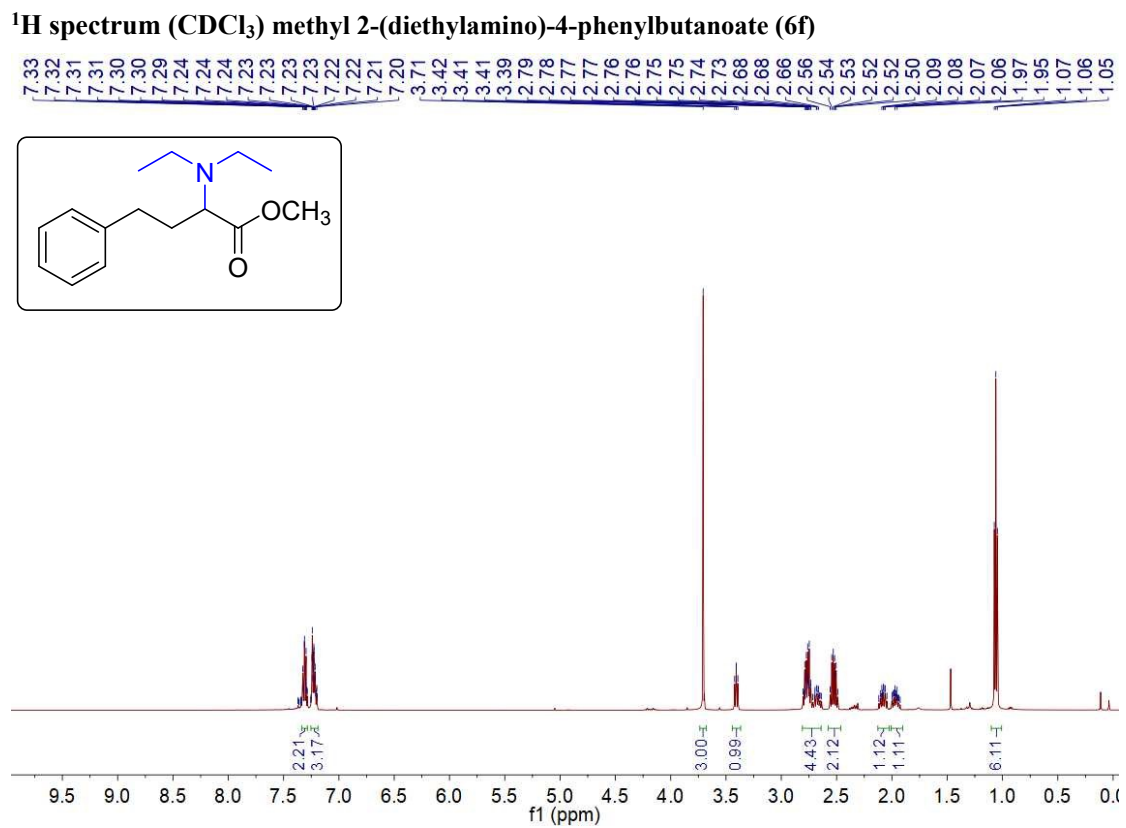
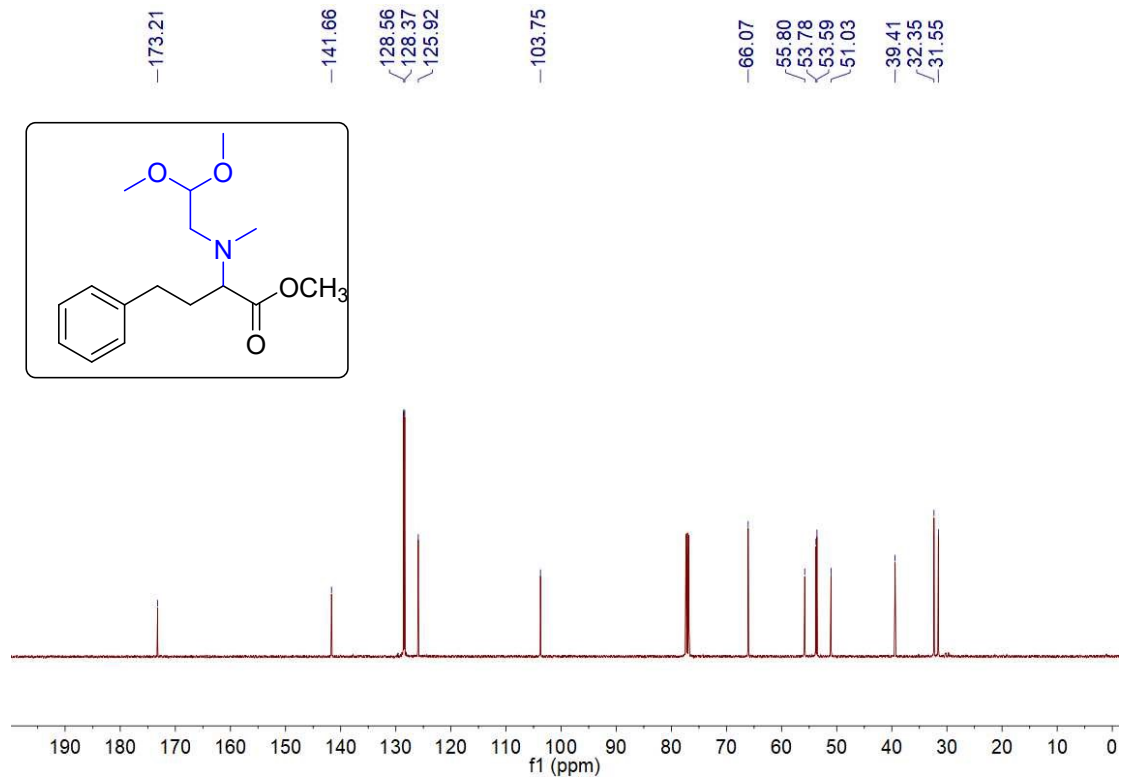
¹³C spectrum (CDCl₃) methyl 2-(cyclohexyl(methyl)amino)-4-phenylbutanoate (6d)



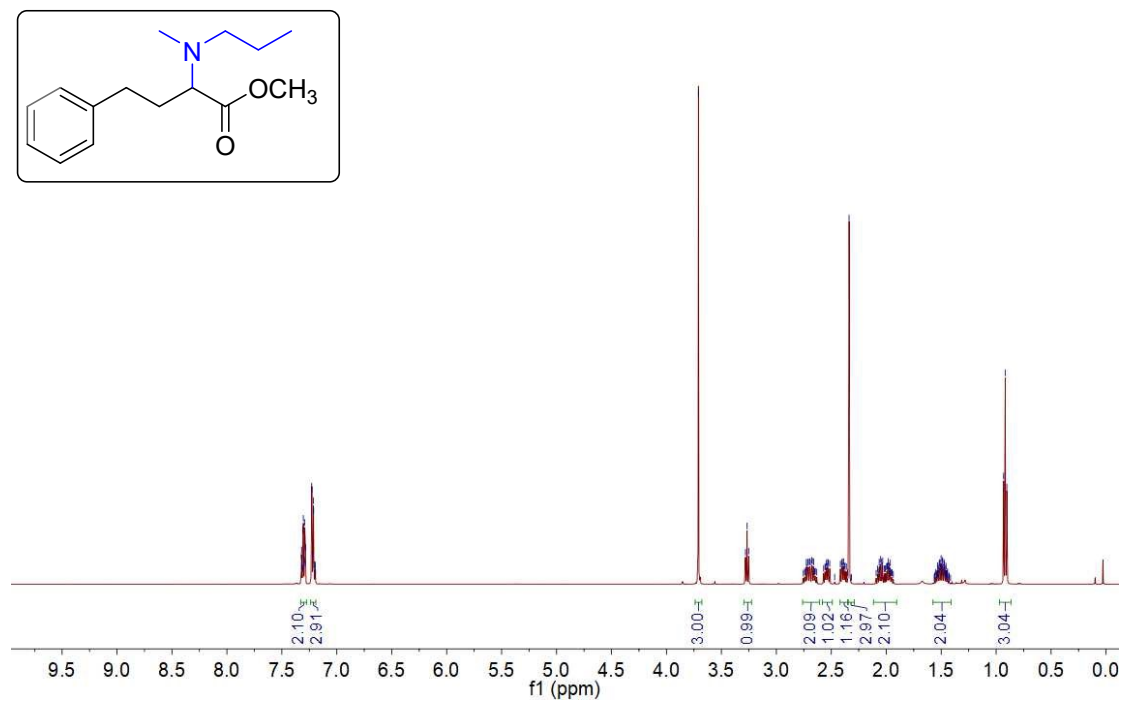
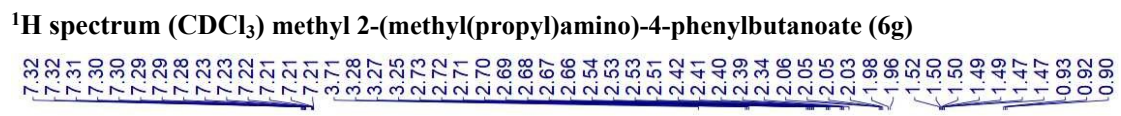
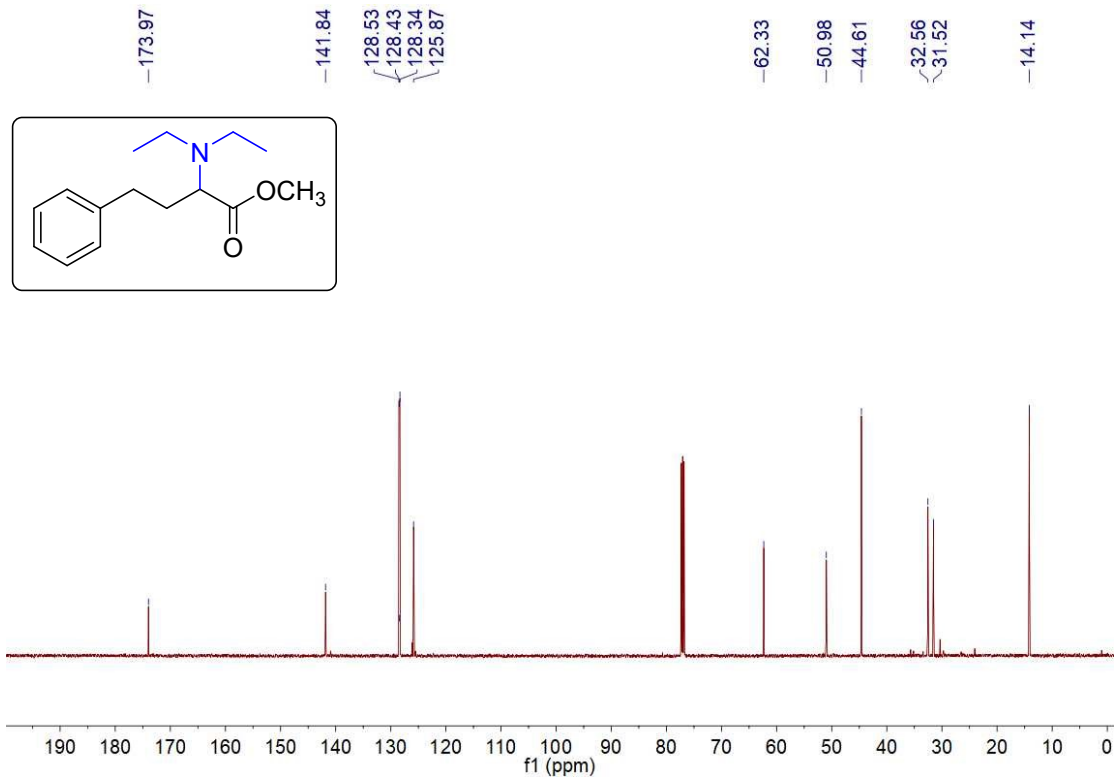
¹H spectrum (CDCl₃) methyl 2-((2,2-dimethoxyethyl)(methyl)amino)-4-phenylbutanoate (6e)



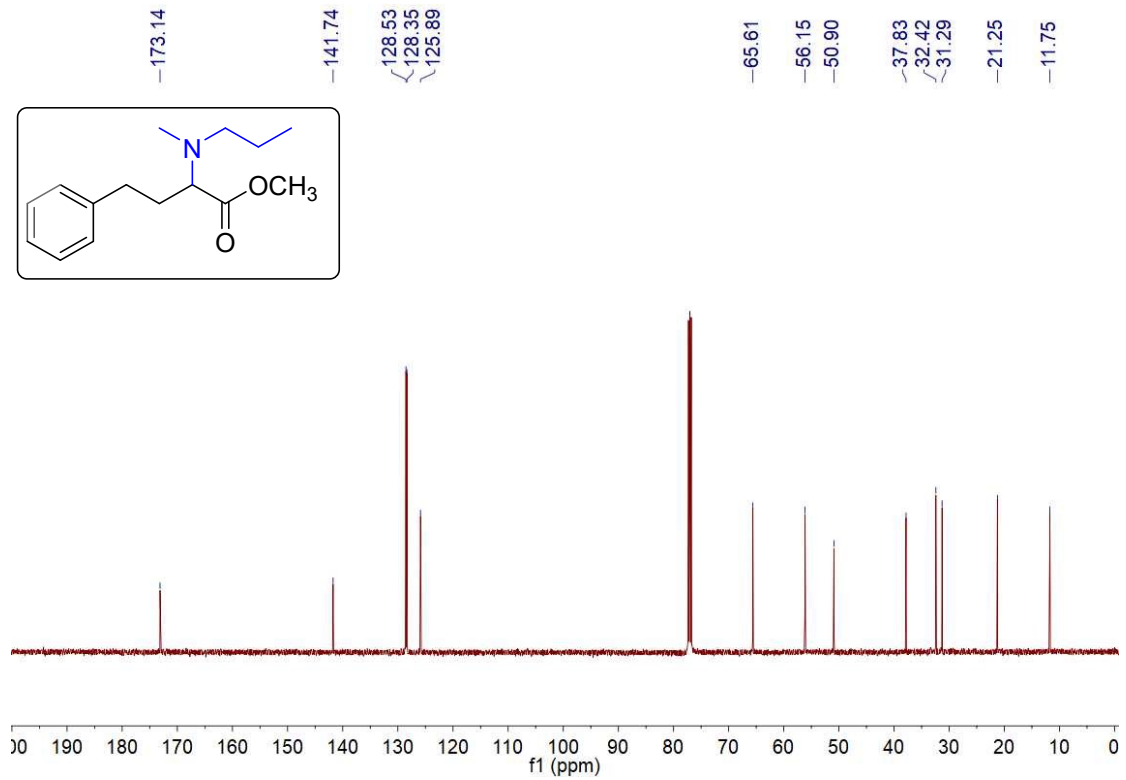
¹³C spectrum (CDCl₃) methyl 2-((2,2-dimethoxyethyl)(methyl)amino)-4-phenylbutanoate (6e)



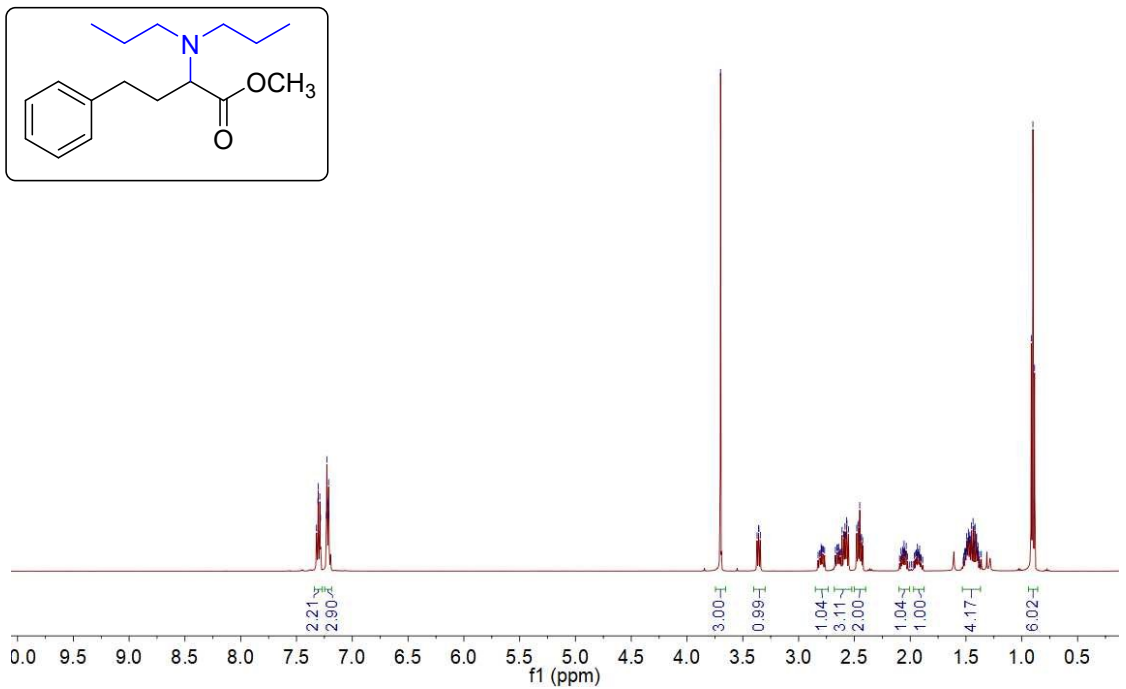
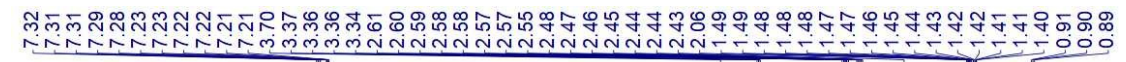
¹³C spectrum (CDCl₃) methyl 2-(diethylamino)-4-phenylbutanoate (6f)



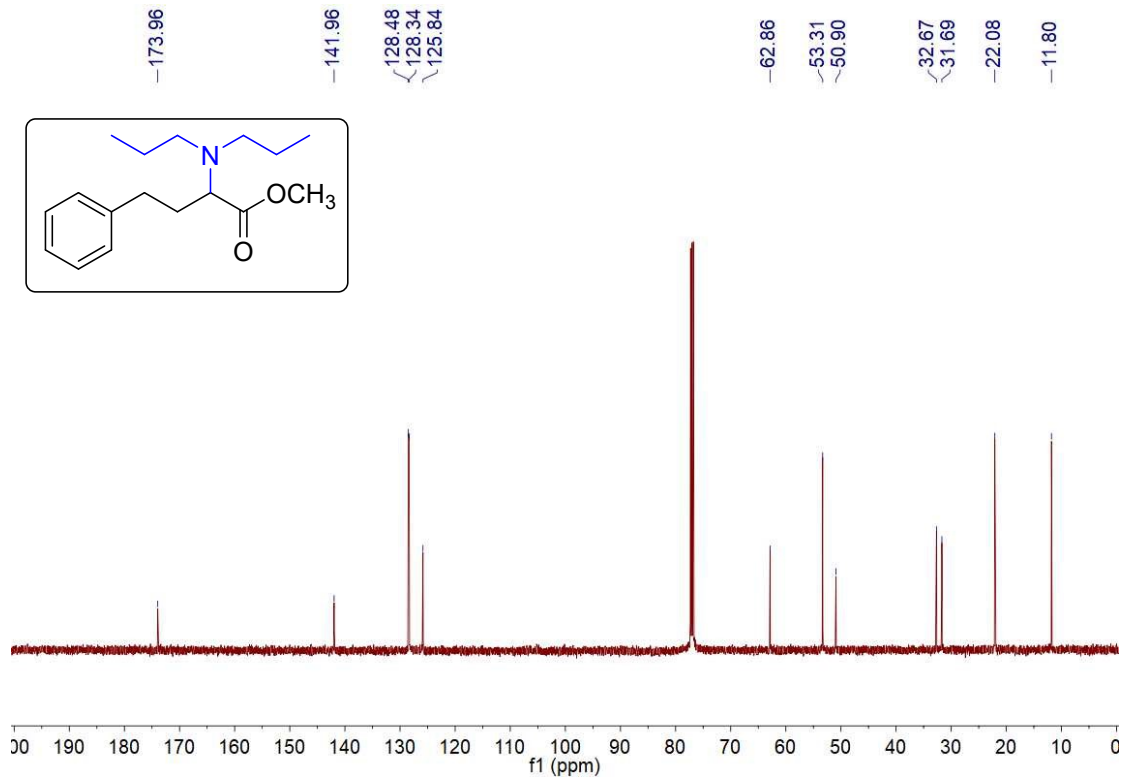
¹³C spectrum (CDCl₃) methyl 2-(methylpropylamino)-4-phenylbutanoate (6g)



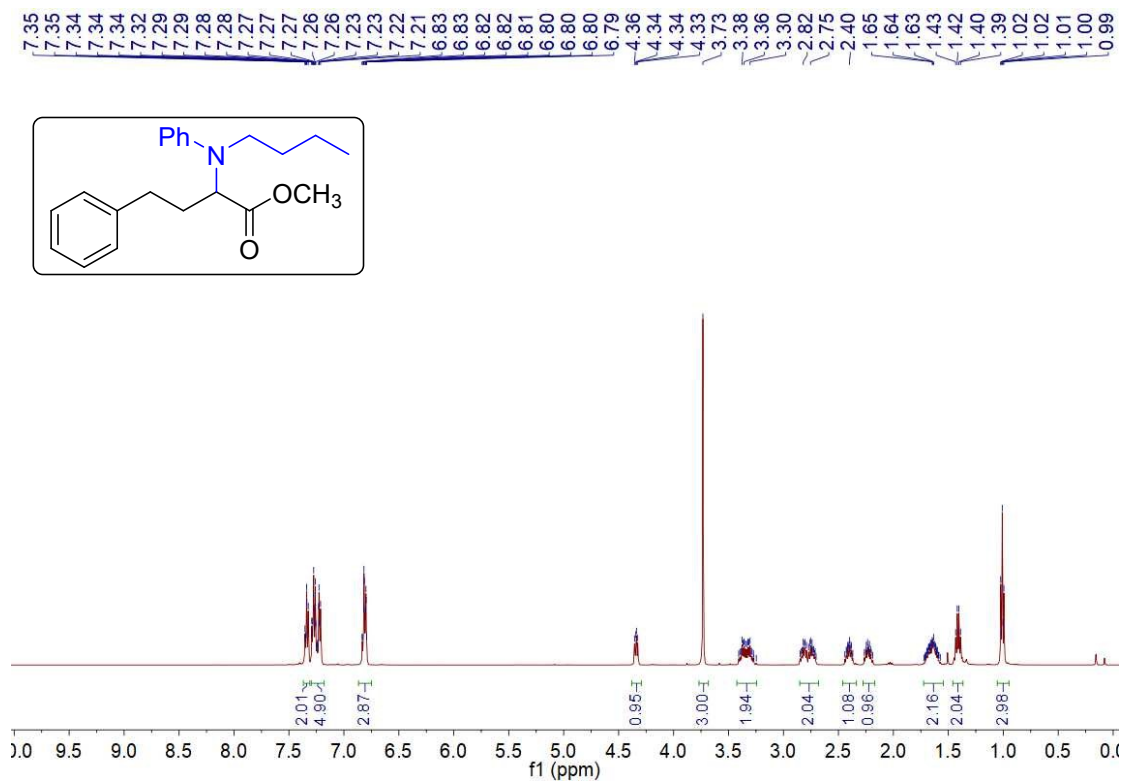
¹H spectrum (CDCl₃) methyl 2-(dipropylamino)-4-phenylbutanoate (6h)



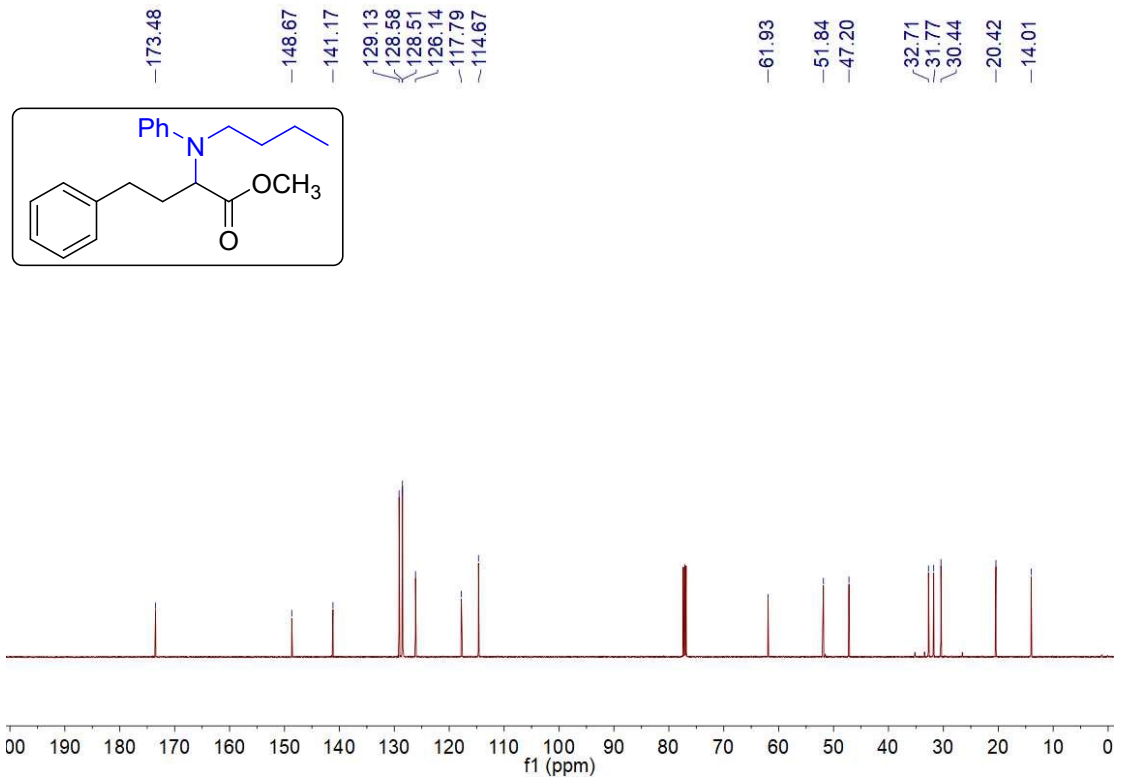
¹³C spectrum (CDCl₃) methyl 2-(dipropylamino)-4-phenylbutanoate (6h)



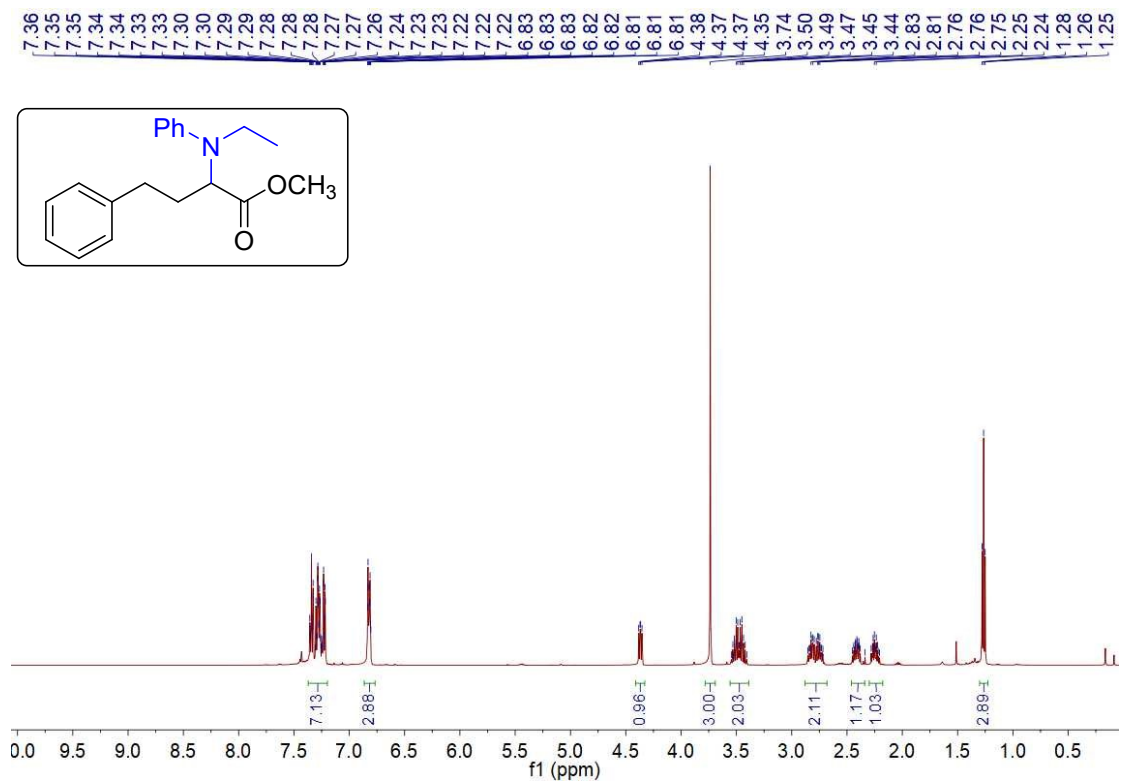
¹H spectrum (CDCl₃) methyl 2-(butyl(phenyl)amino)-4-phenylbutanoate (6i)



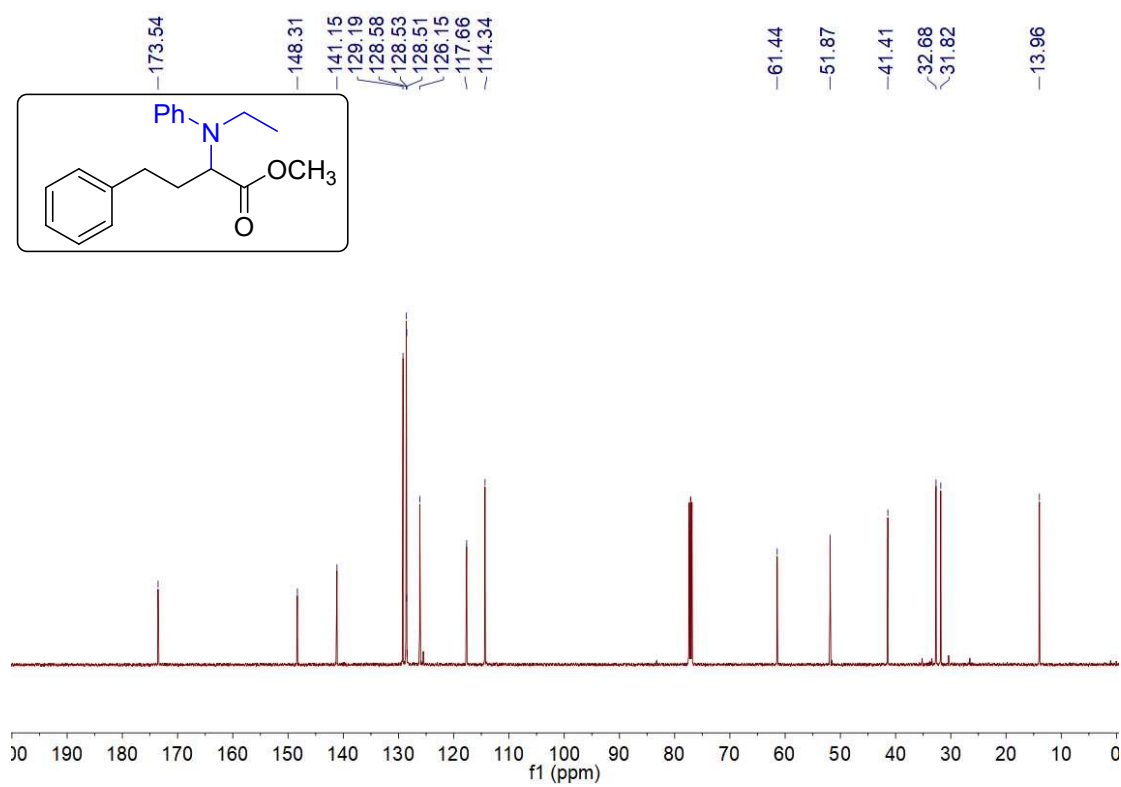
¹³C spectrum (CDCl₃) methyl 2-(butyl(phenyl)amino)-4-phenylbutanoate (6i)



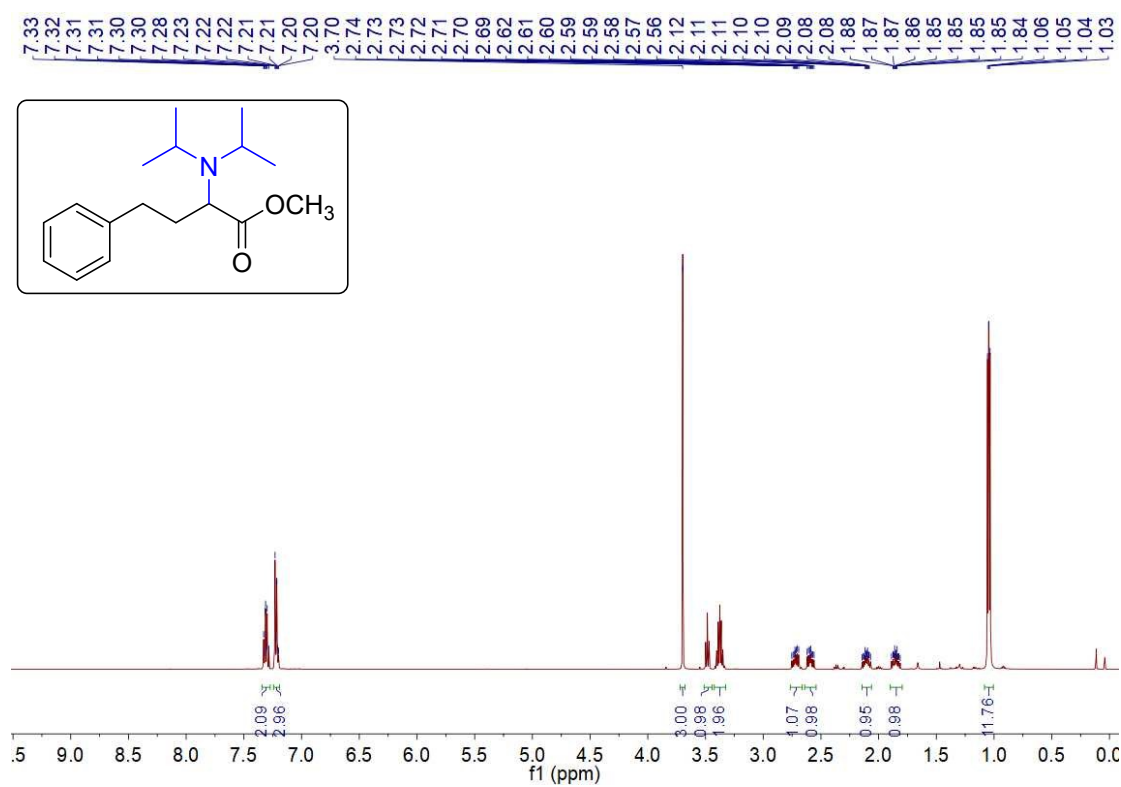
¹H spectrum (CDCl₃) methyl 2-(ethyl(phenyl)amino)-4-phenylbutanoate (6j)



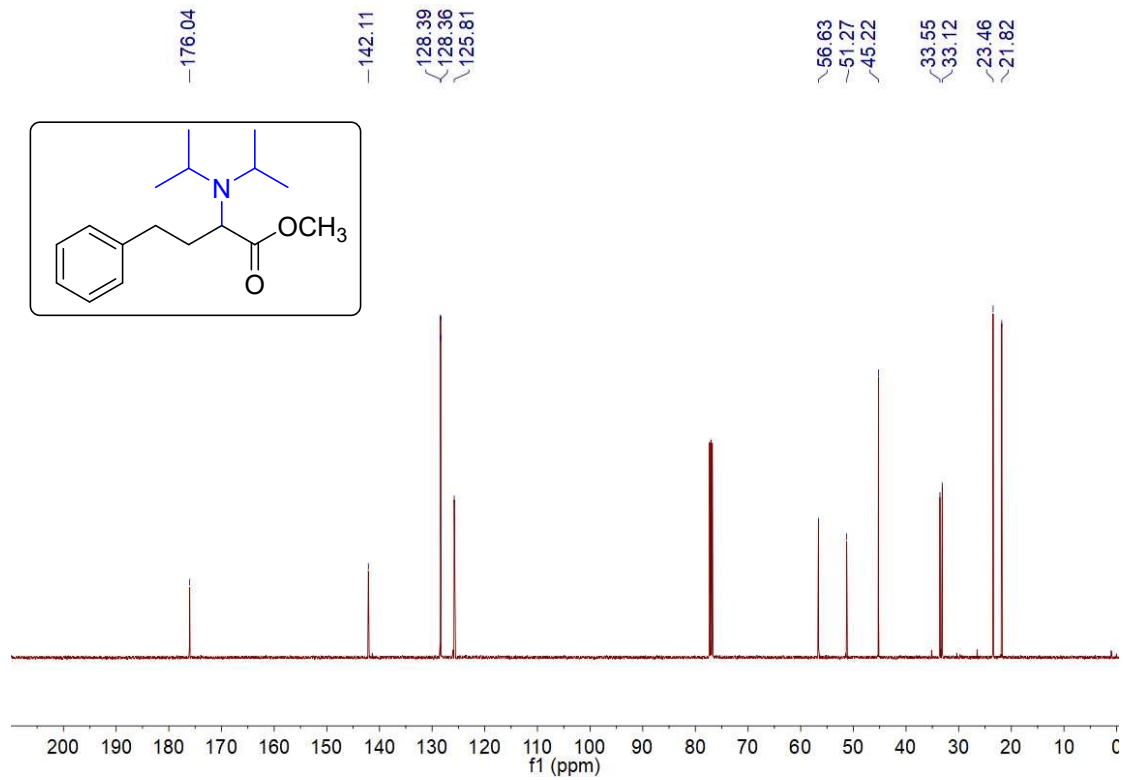
¹³C spectrum (CDCl₃) methyl 2-(ethyl(phenyl)amino)-4-phenylbutanoate (6j)



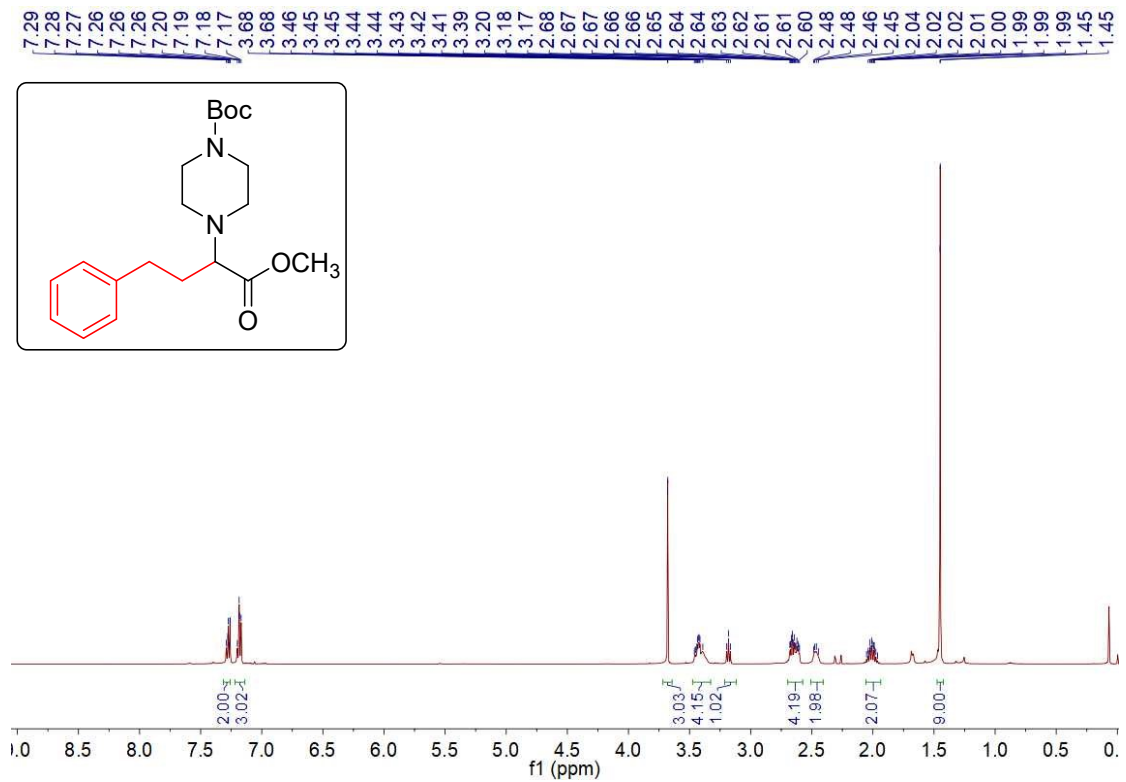
¹H spectrum (CDCl₃) methyl 2-(diisopropylamino)-4-phenylbutanoate (6k)



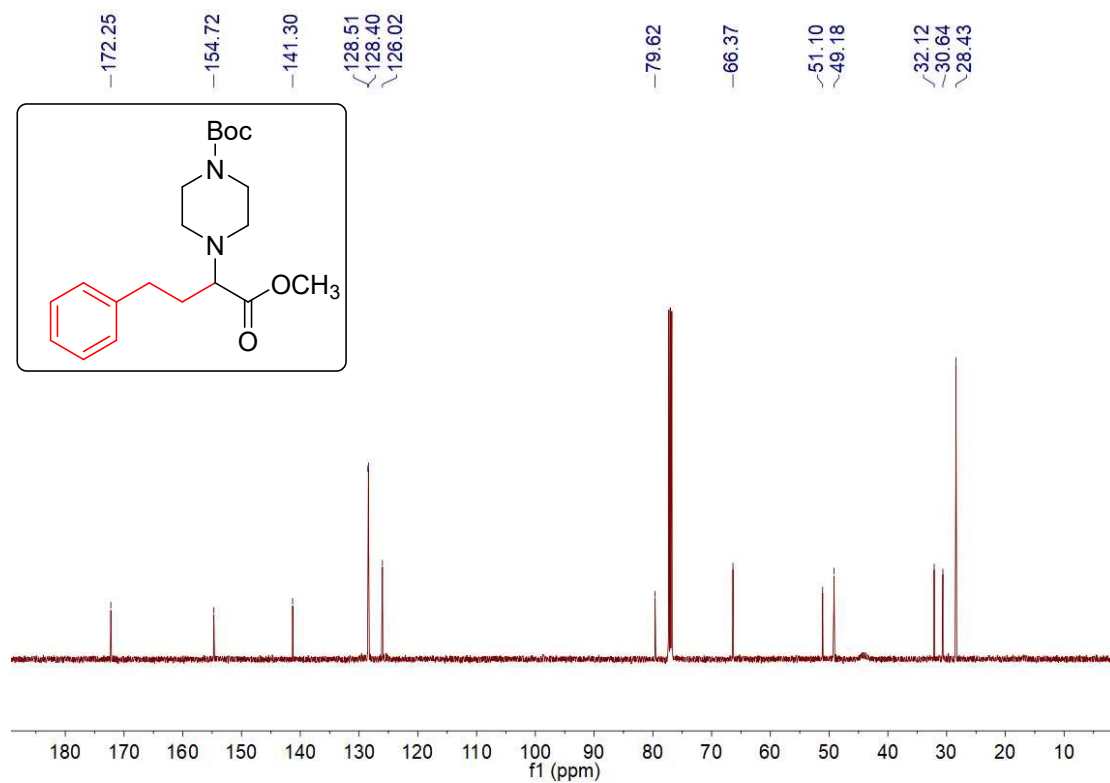
¹³C spectrum (CDCl₃) methyl 2-(diisopropylamino)-4-phenylbutanoate (6k)



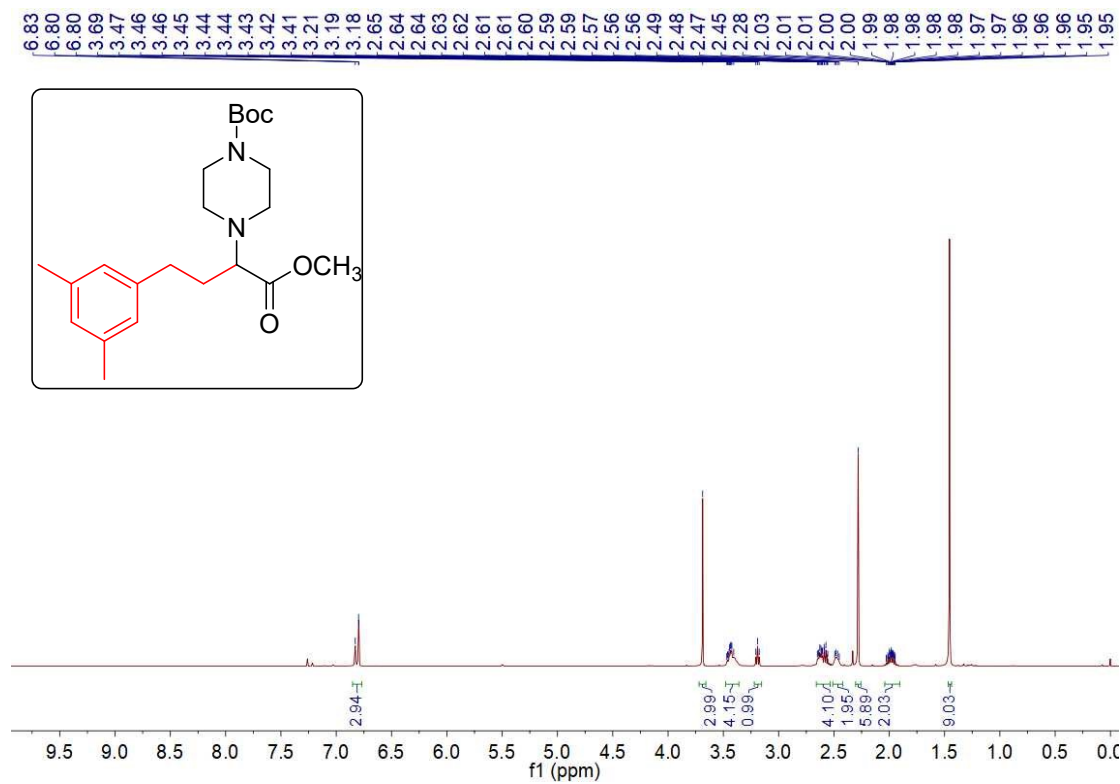
¹H spectrum (CDCl₃) tert-butyl 4-(1-methoxy-1-oxo-4-phenylbutan-2-yl)piperazine-1-carboxylate (6l)



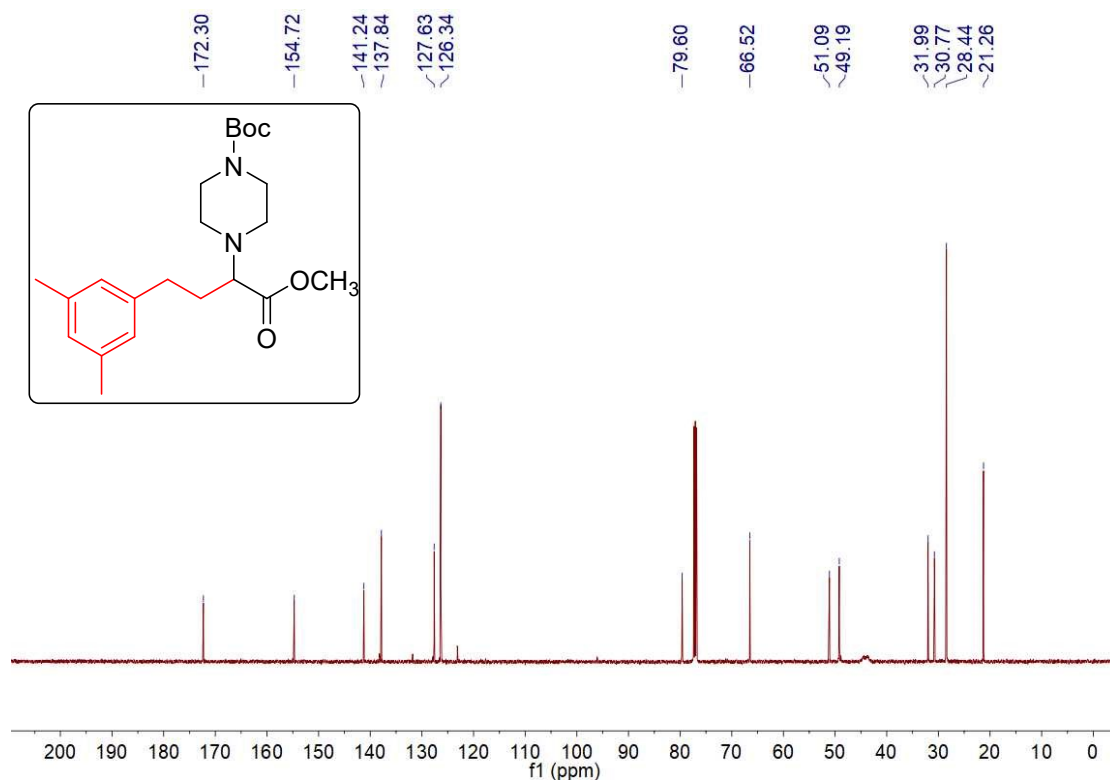
¹³C spectrum (CDCl₃) tert-butyl 4-(1-methoxy-1-oxo-4-phenylbutan-2-yl)piperazine-1-carboxylate (6l)



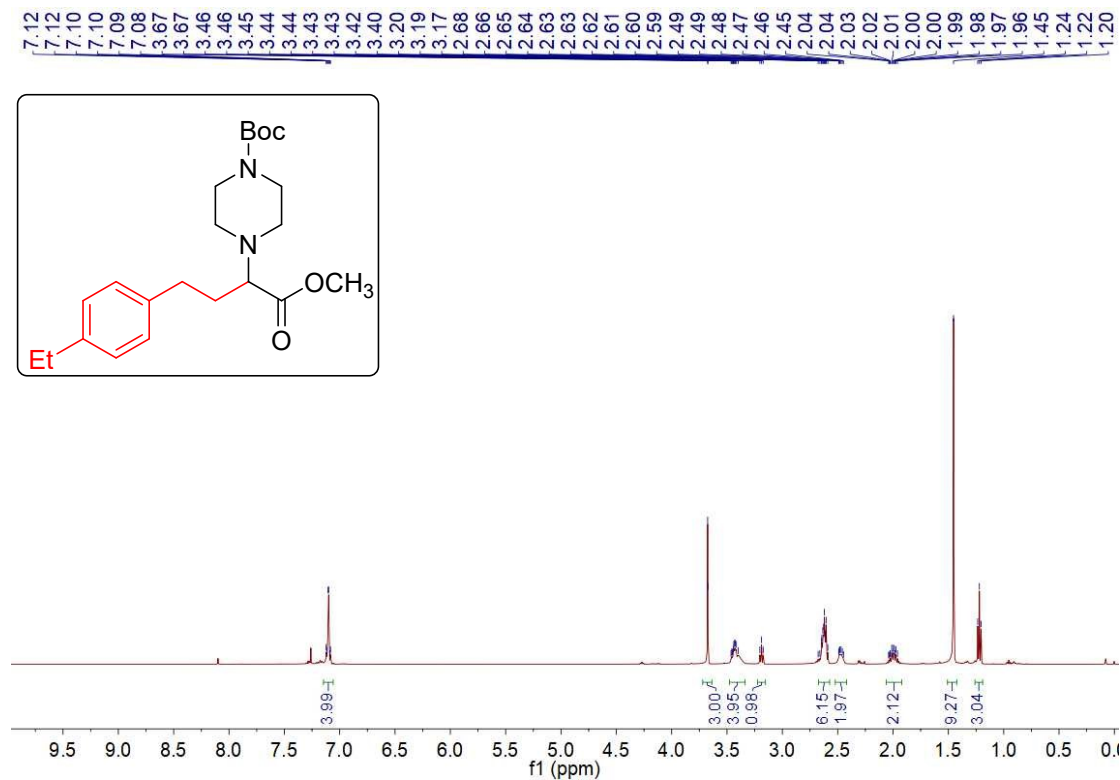
¹H spectrum (CDCl₃) tert-butyl 4-(4-(3,5-dimethylphenyl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (6m)



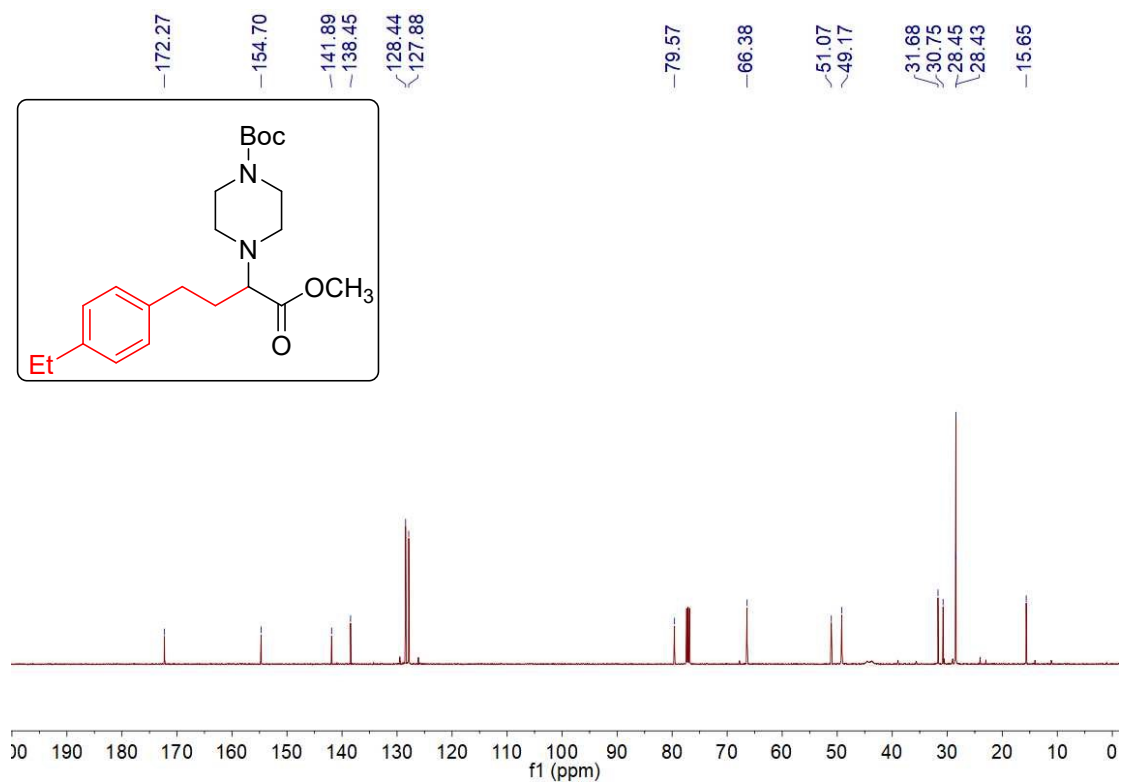
¹³C spectrum (CDCl₃) tert-butyl 4-(4-(3,5-dimethylphenyl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (6m)



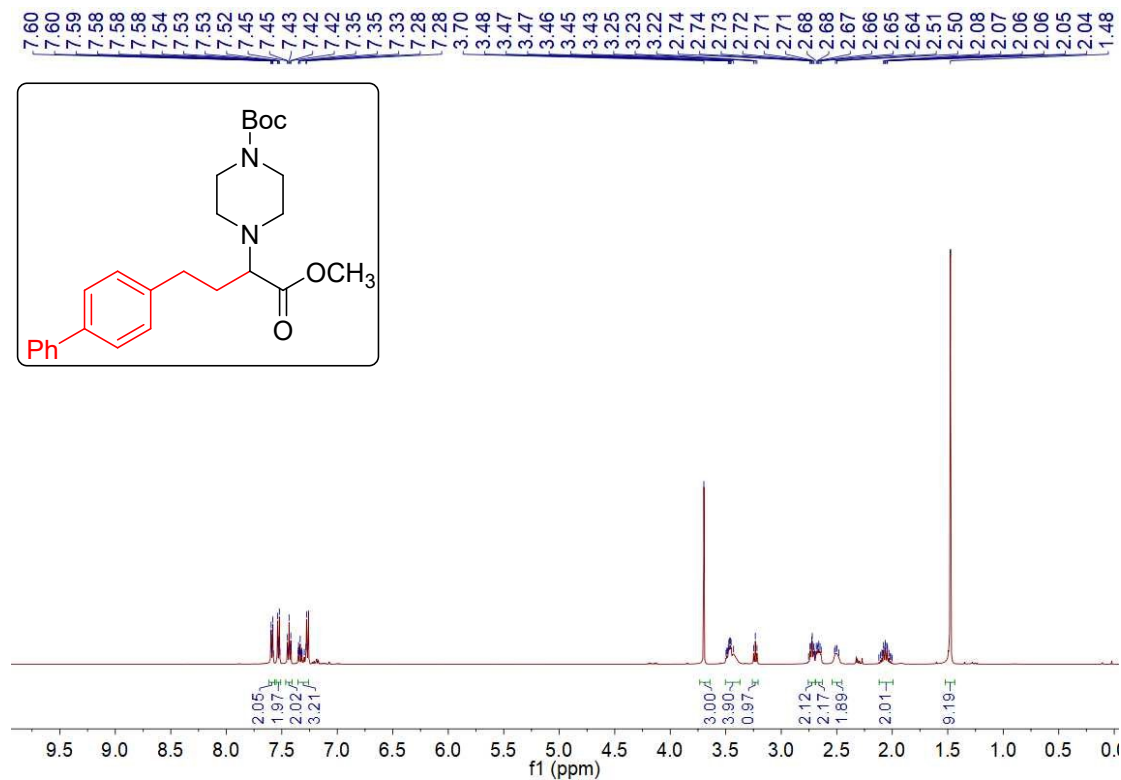
¹H spectrum (CDCl₃) tert-butyl 4-(4-(4-ethylphenyl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (6n)



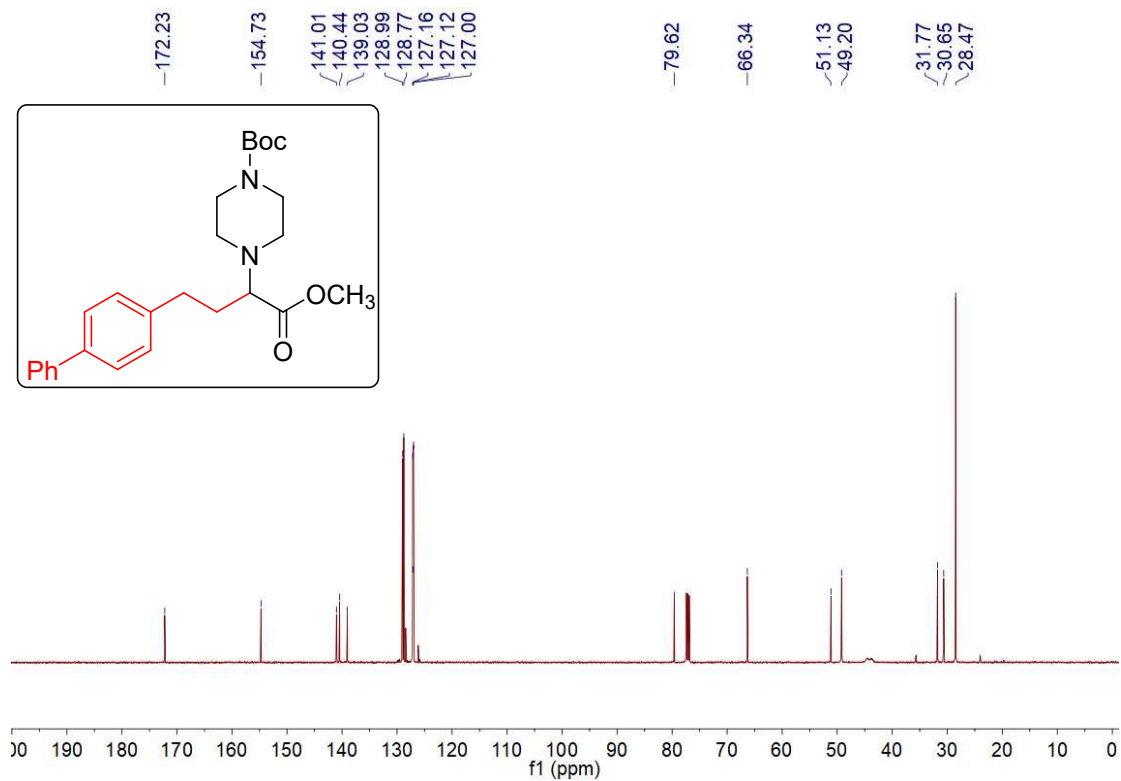
¹³C spectrum (CDCl₃) tert-butyl 4-(4-(4-ethylphenyl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (6n)



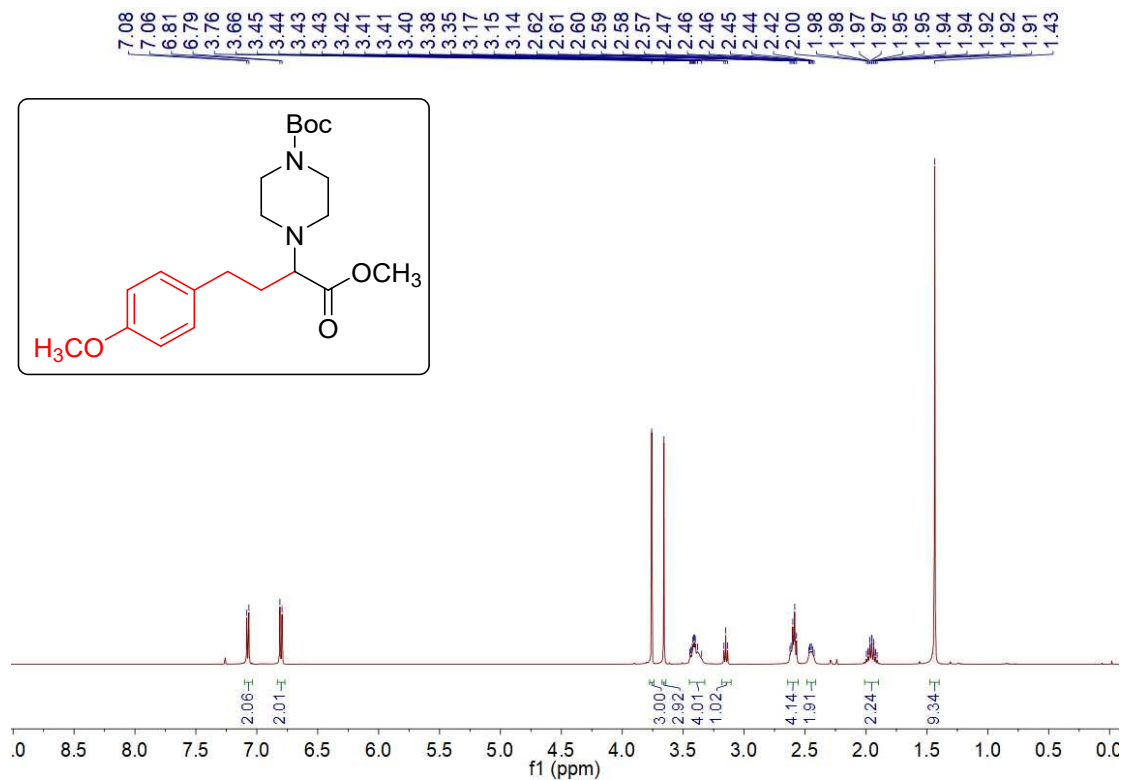
¹H spectrum (CDCl₃) tert-butyl 4-(4-([1,1'-biphenyl]-4-yl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (60)



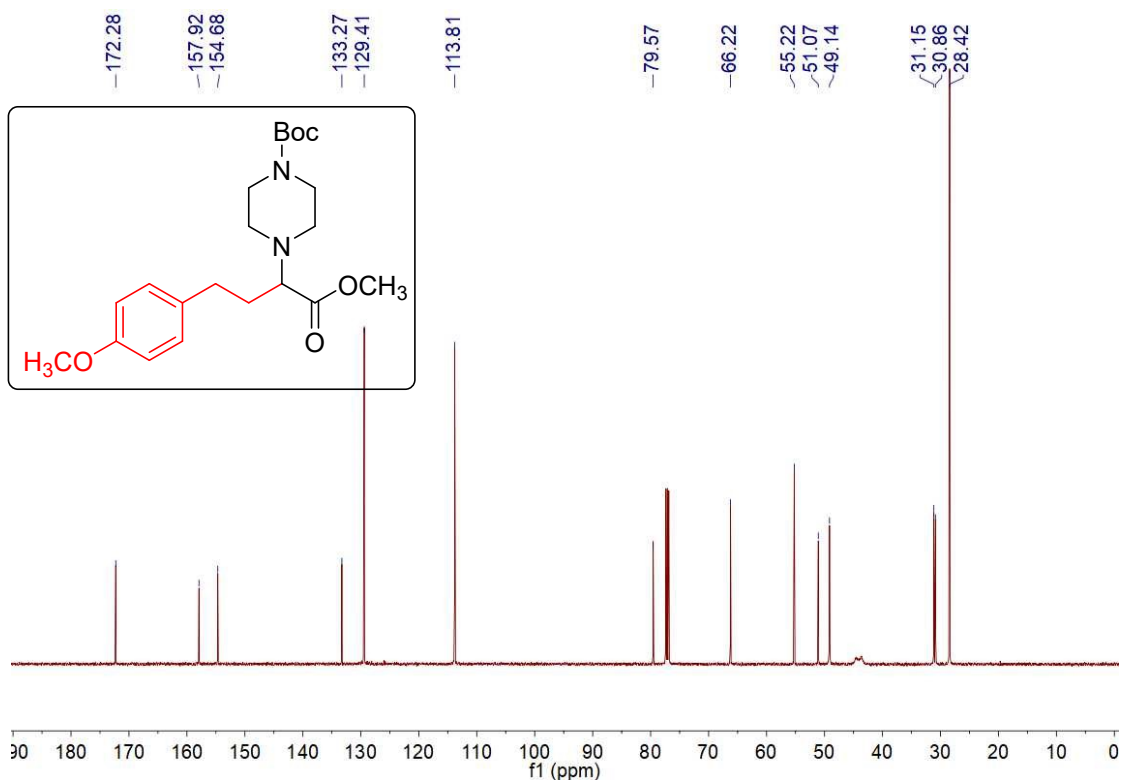
¹³C spectrum (CDCl₃) tert-butyl 4-(4-([1,1'-biphenyl]-4-yl)-1-methoxy-1-oxobutan-2-yl)piperazine-1-carboxylate (60)



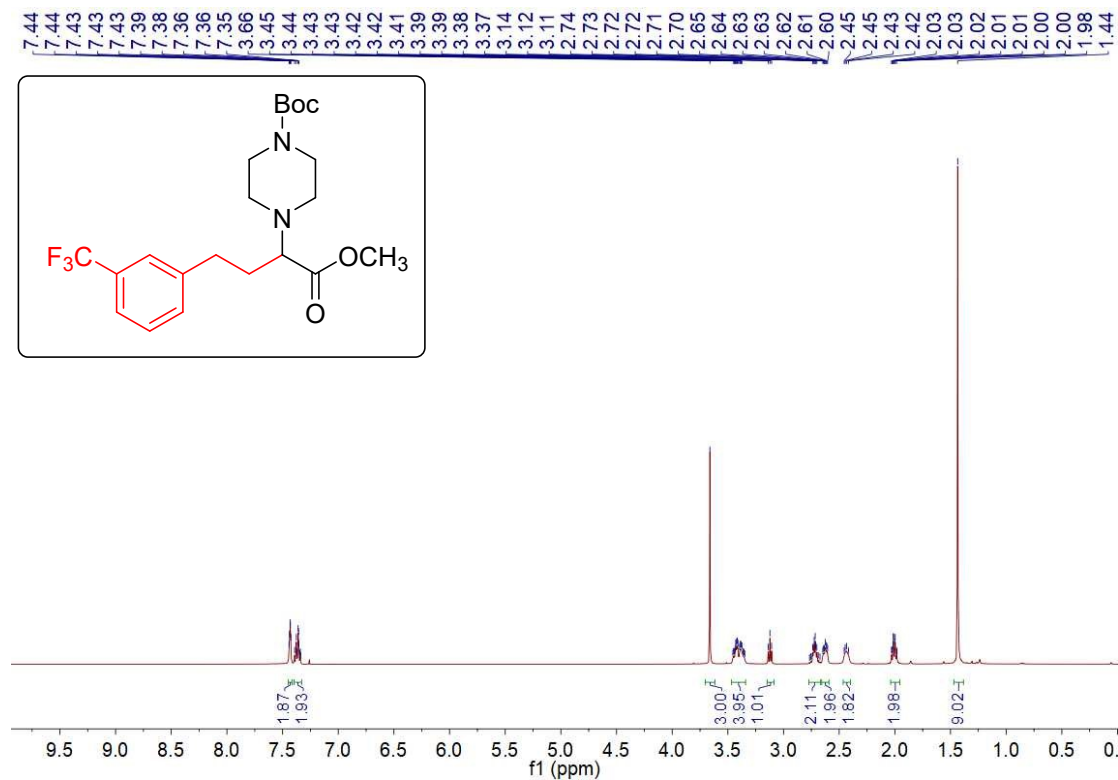
¹H spectrum (CDCl₃) tert-butyl 4-(1-methoxy-4-(4-methoxyphenyl)-1-oxobutan-2-yl)piperazine-1-carboxylate (6p)



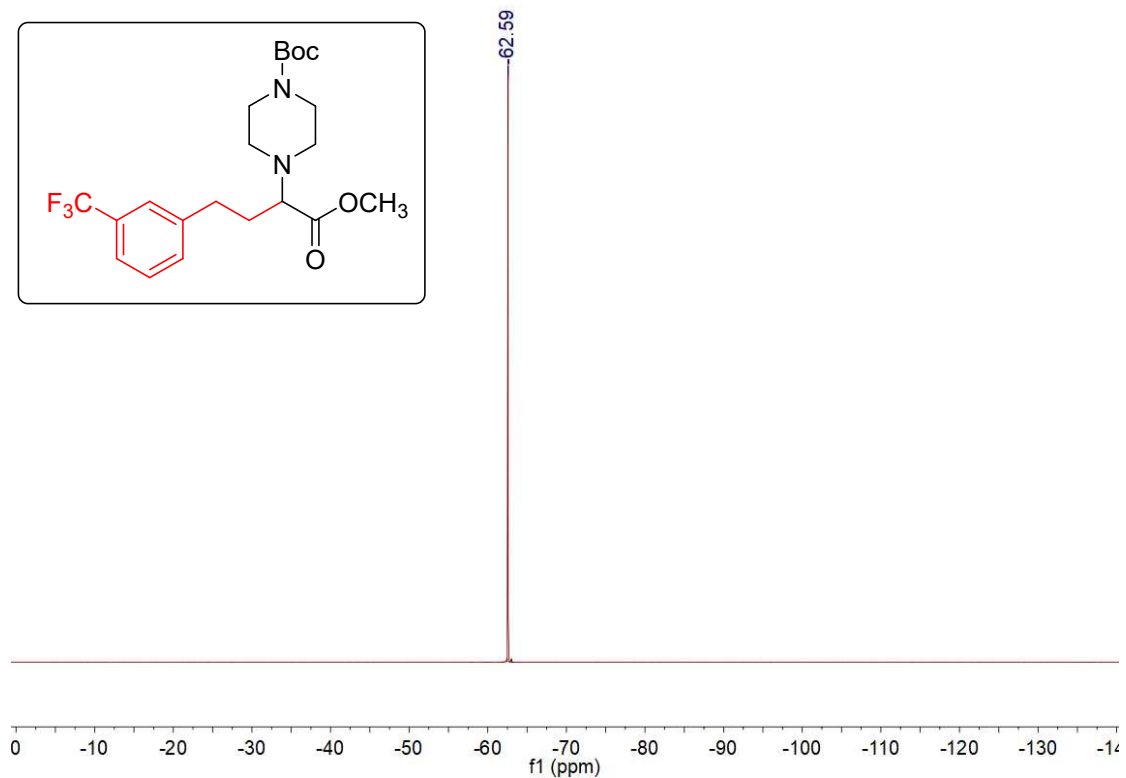
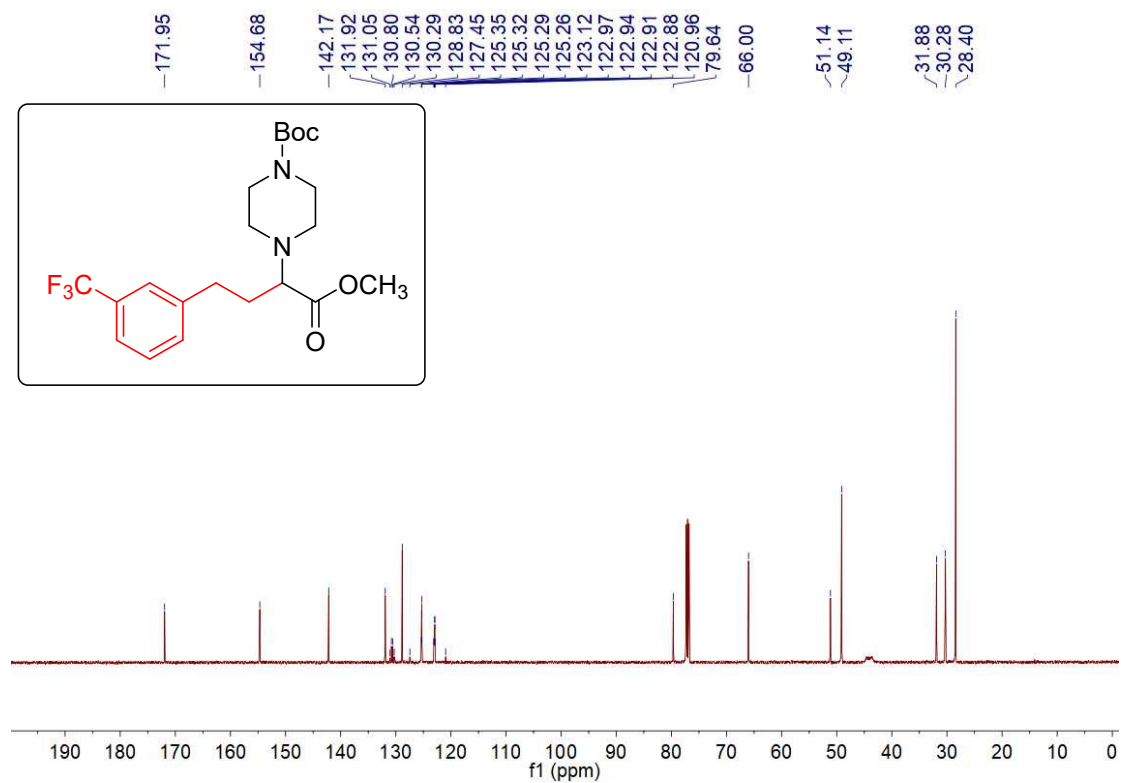
¹³C spectrum (CDCl₃) tert-butyl 4-(1-methoxy-4-(4-methoxyphenyl)-1-oxobutan-2-yl)piperazine-1-carboxylate (6p)

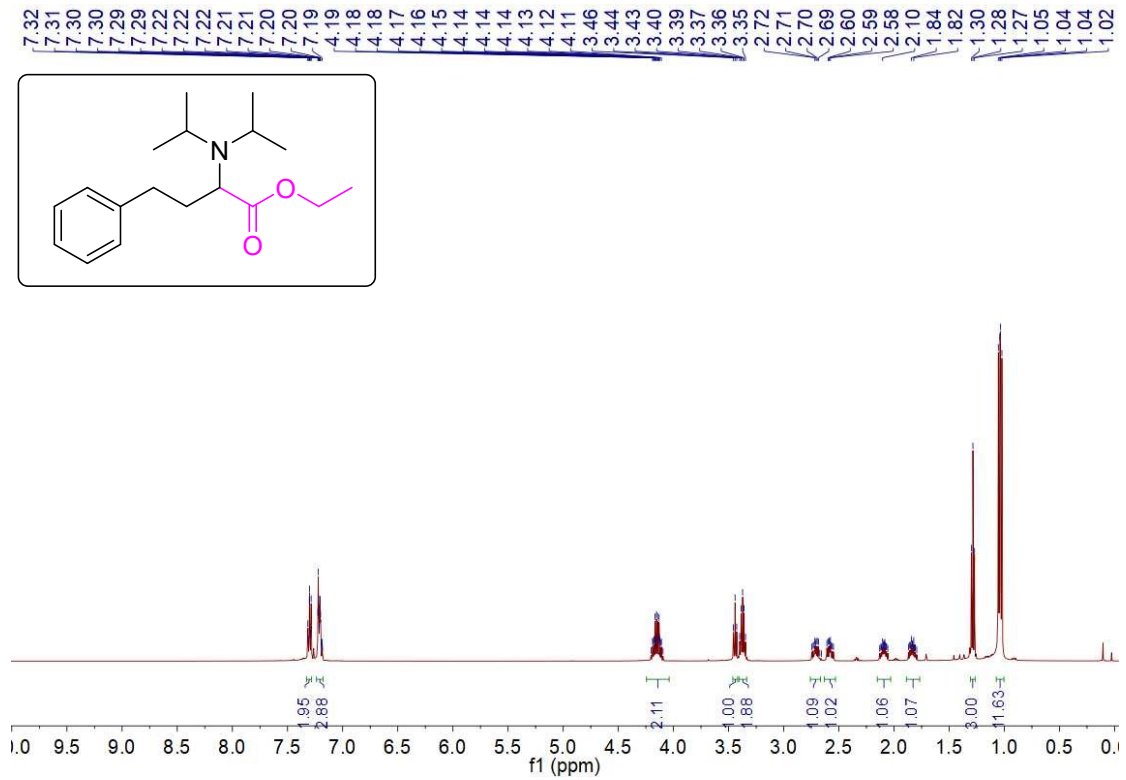


¹H spectrum (CDCl₃) tert-butyl 4-(1-methoxy-1-oxo-4-(3-(trifluoromethyl)phenyl)butan-2-yl)piperazine-1-carboxylate (6q)

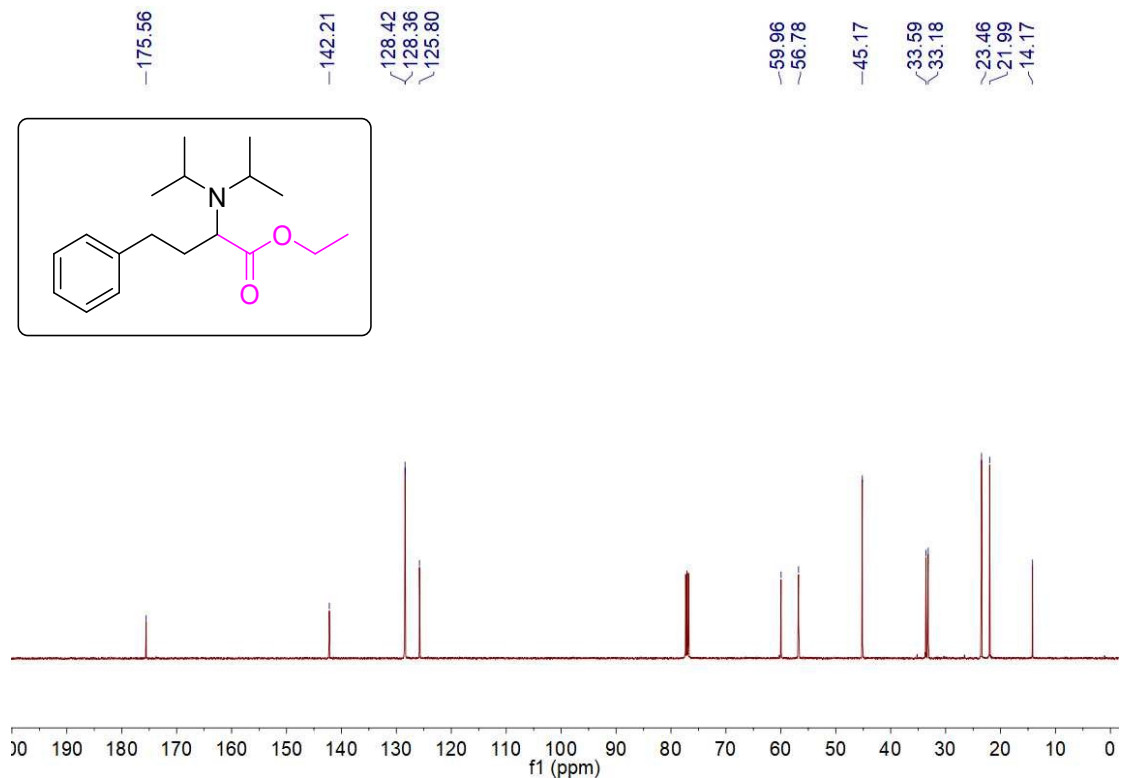


¹³C spectrum (CDCl₃) tert-butyl 4-(1-methoxy-1-oxo-4-(3-(trifluoromethyl)phenyl)butan-2-yl)piperazine-1-carboxylate (6q)

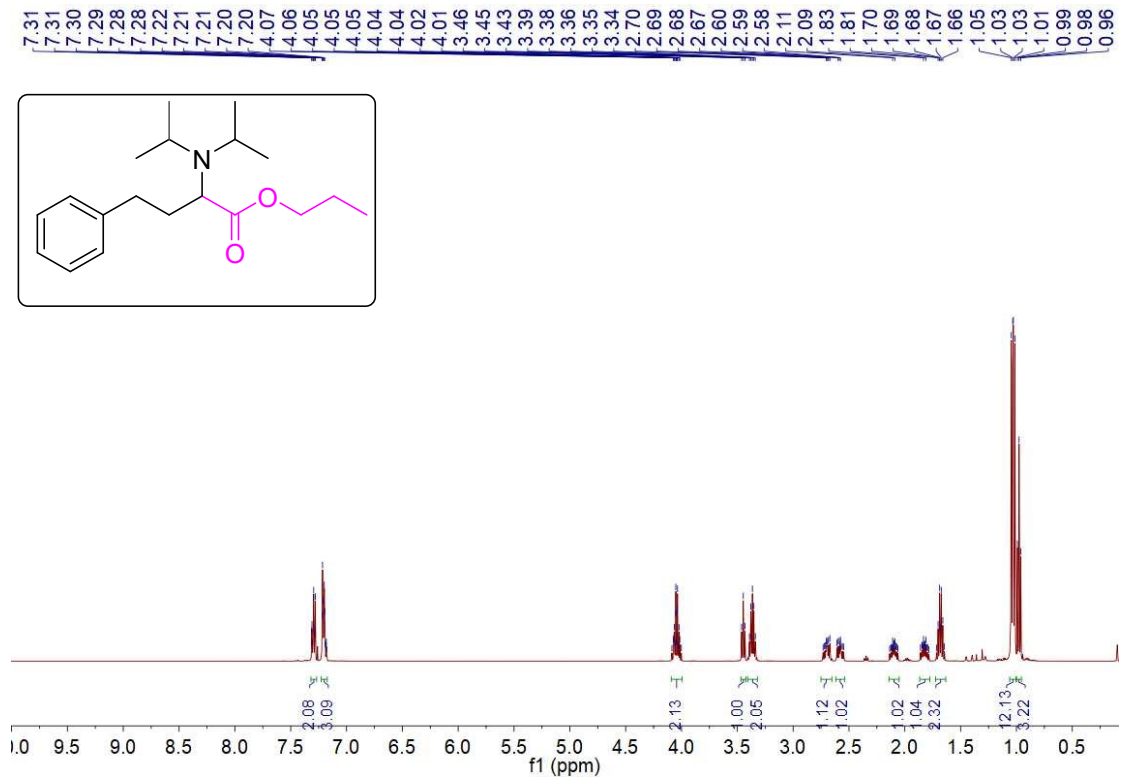




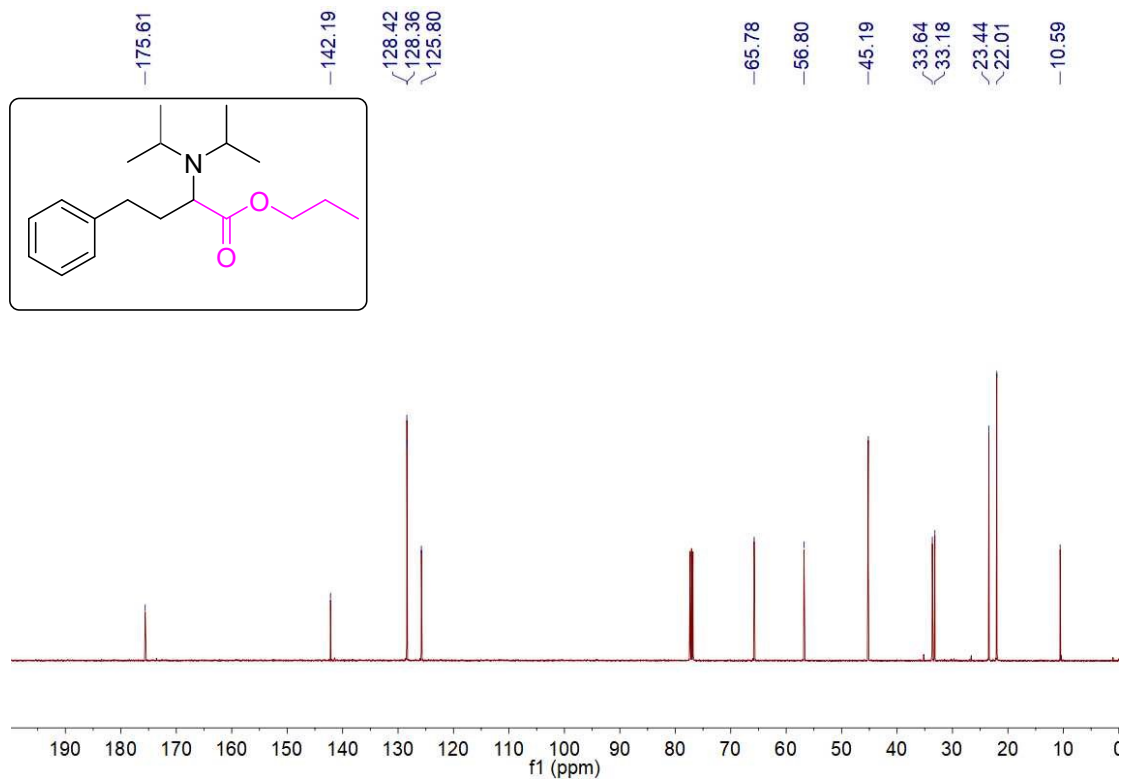
¹³C spectrum (CDCl₃) ethyl 2-(diisopropylamino)-4-phenylbutanoate (6r)



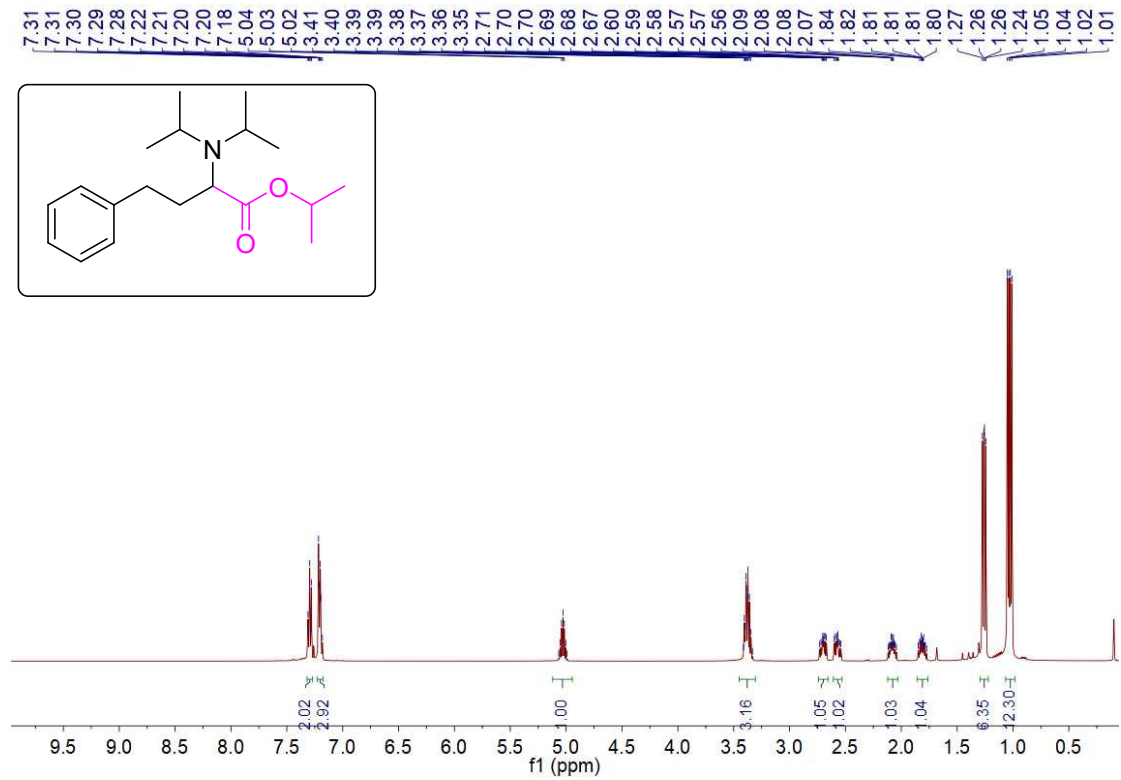
¹³C spectrum (CDCl₃) propyl 2-(diisopropylamino)-4-phenylbutanoate (6s)



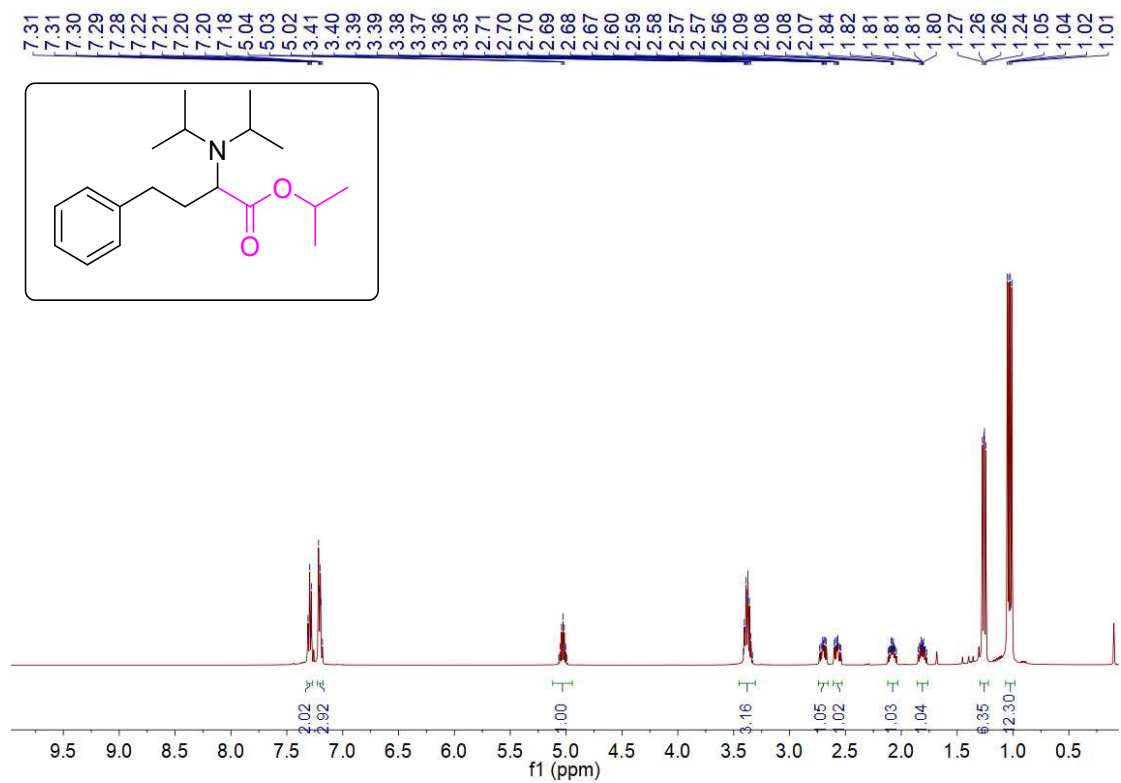
¹³C spectrum (CDCl₃) propyl 2-(diisopropylamino)-4-phenylbutanoate (6s)



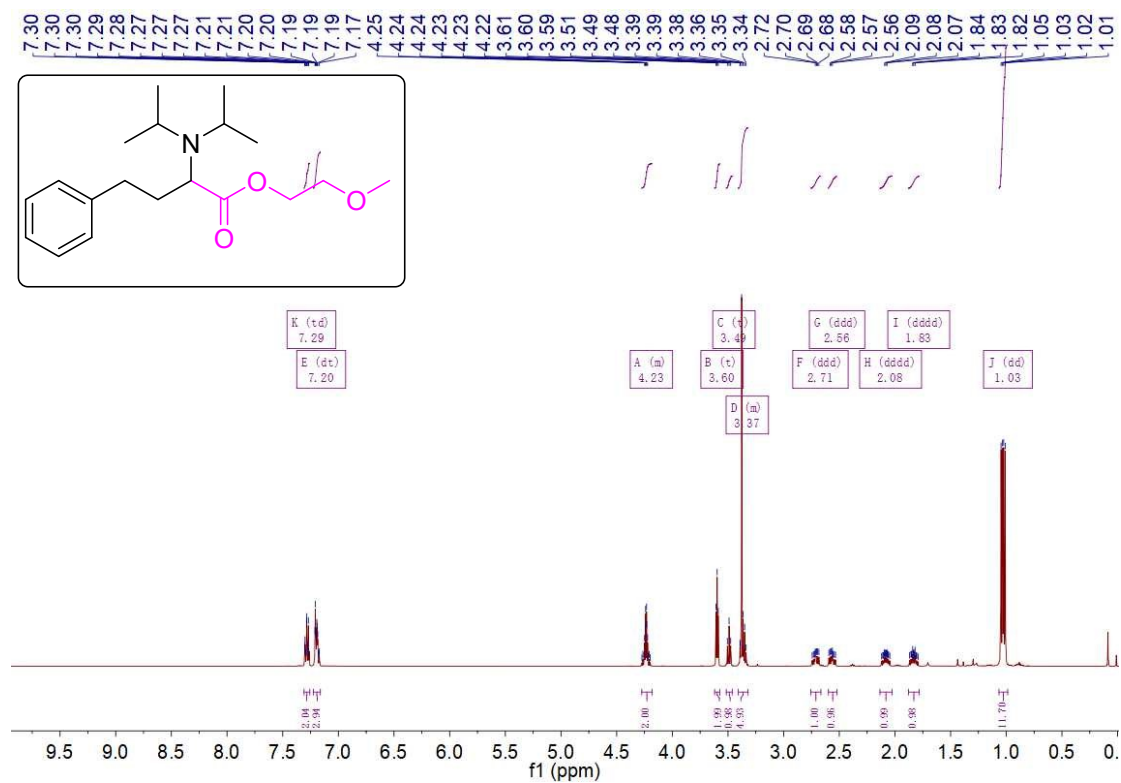
¹H spectrum (CDCl₃) isopropyl 2-(diisopropylamino)-4-phenylbutanoate (6t)



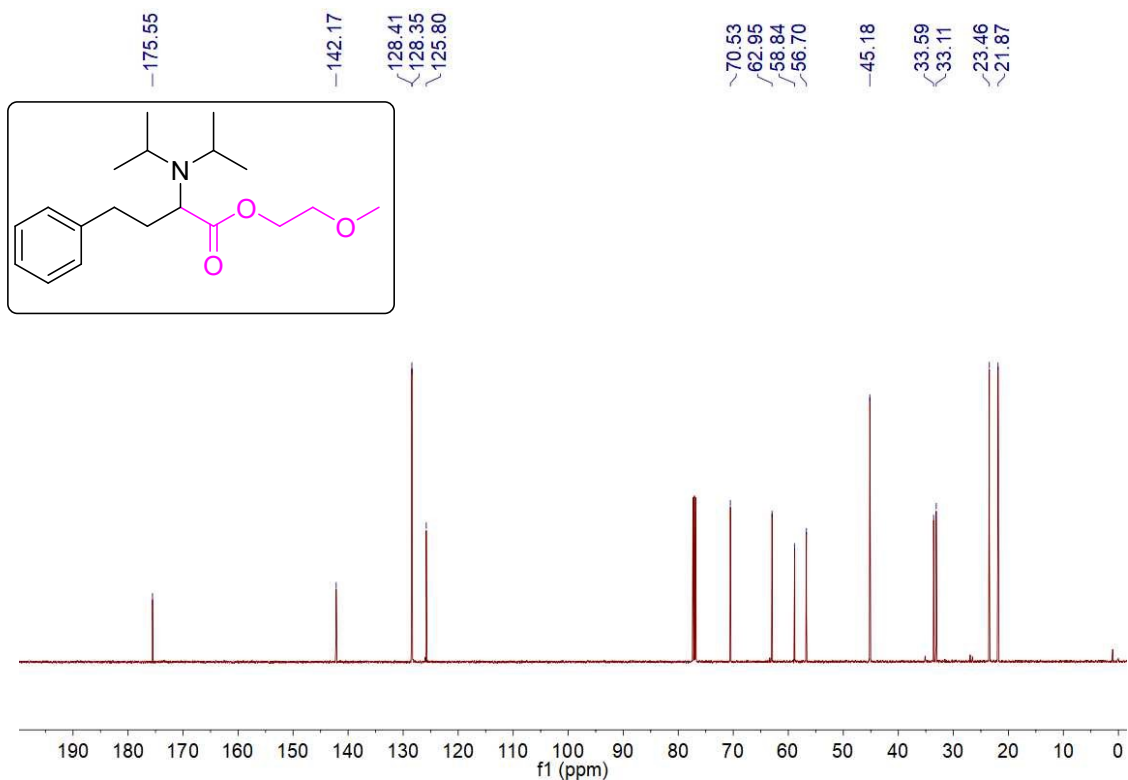
¹³C spectrum (CDCl₃) isopropyl 2-(diisopropylamino)-4-phenylbutanoate (6t)



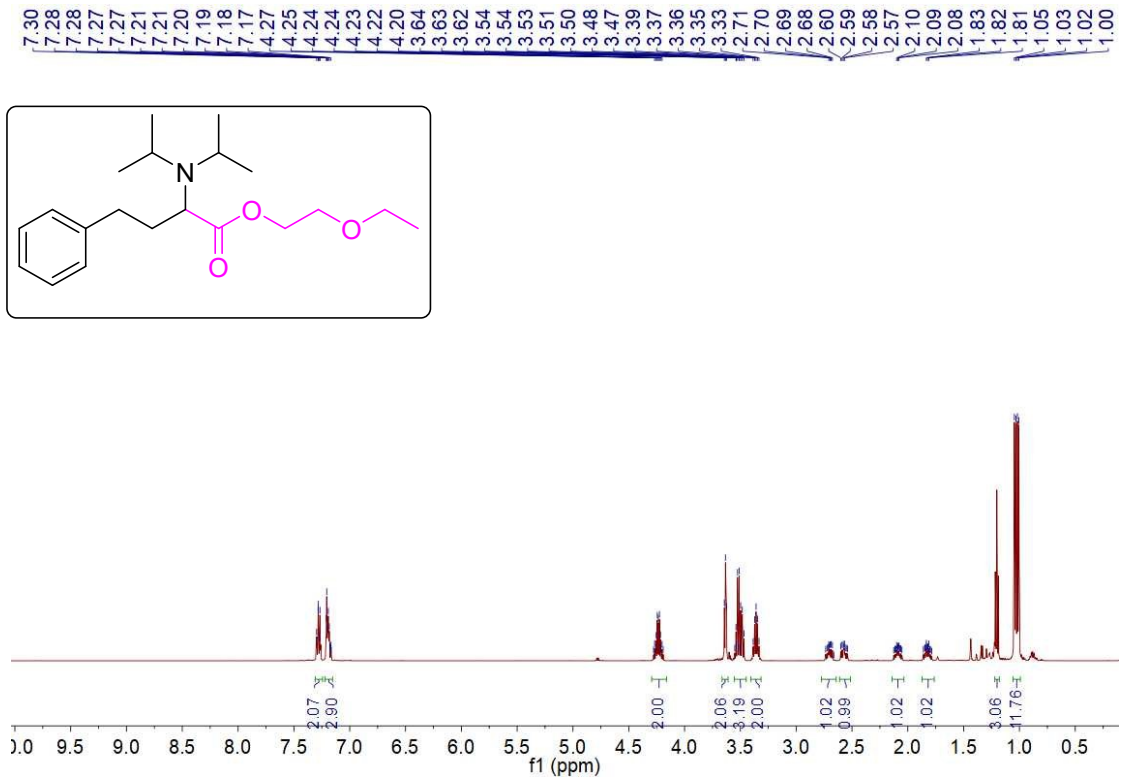
¹H spectrum (CDCl₃) 2-methoxyethyl 2-(diisopropylamino)-4-phenylbutanoate (6u)



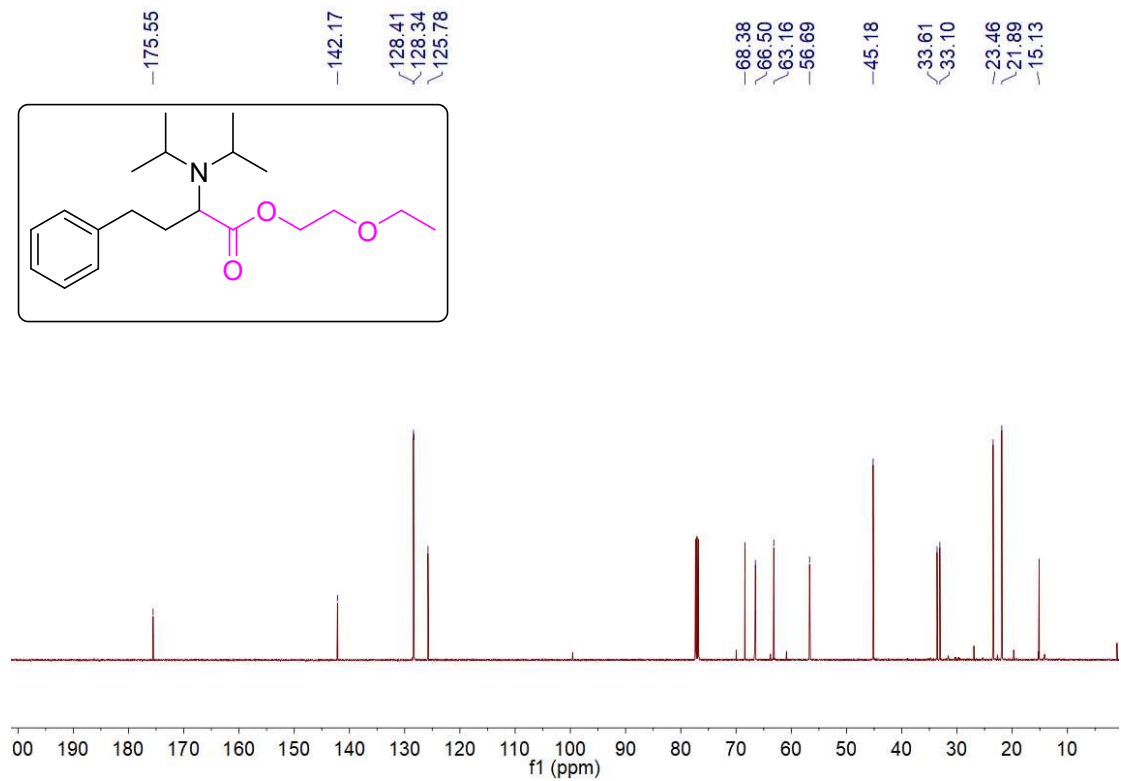
¹³C spectrum (CDCl₃) 2-methoxyethyl 2-(diisopropylamino)-4-phenylbutanoate (6u)



¹H spectrum (CDCl₃) 2-ethoxyethyl 2-(diisopropylamino)-4-phenylbutanoate (6v)

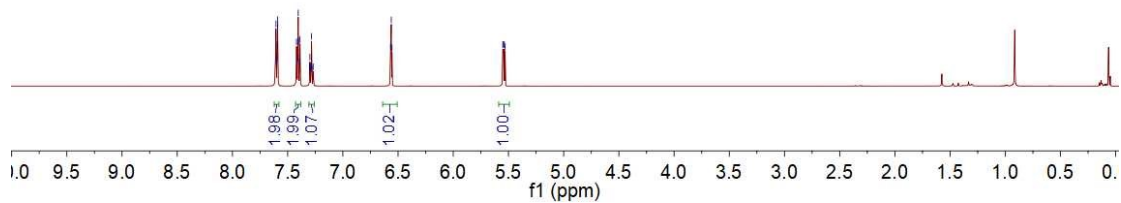
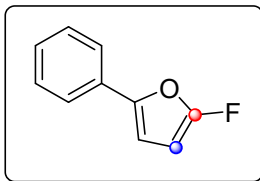


¹³C spectrum (CDCl₃) 2-ethoxyethyl 2-(diisopropylamino)-4-phenylbutanoate (6v)

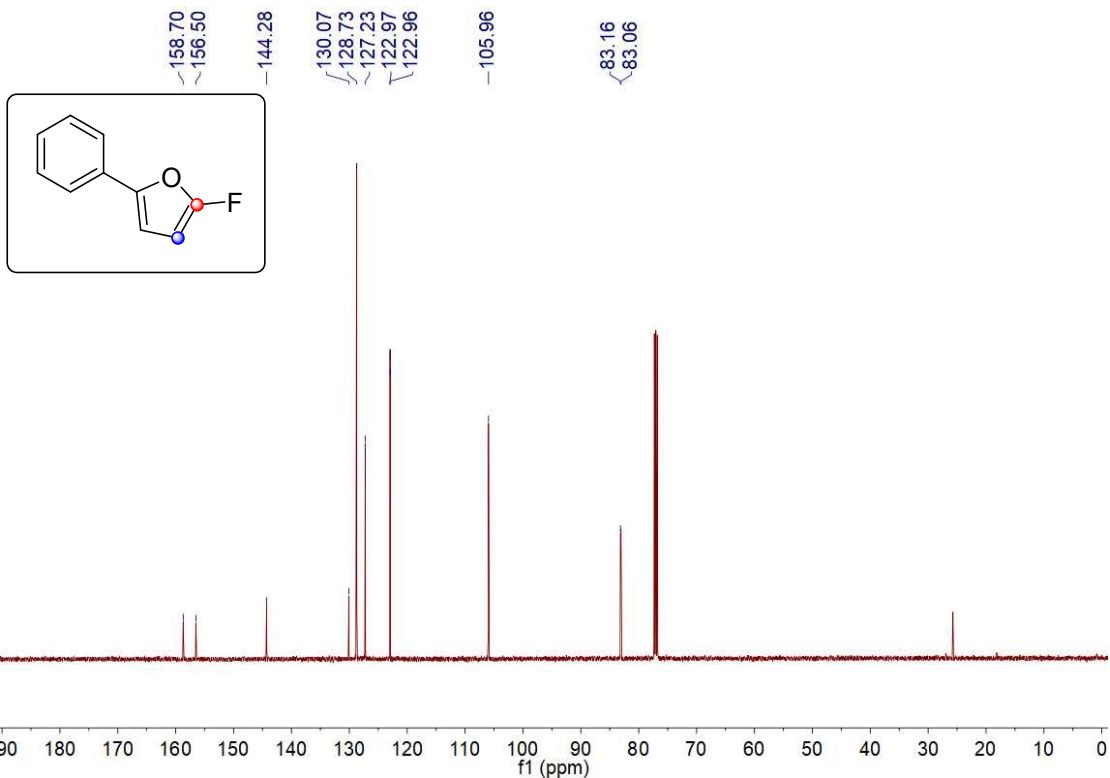


¹H spectrum (CDCl₃) 2-fluoro-5-phenylfuran (7a)

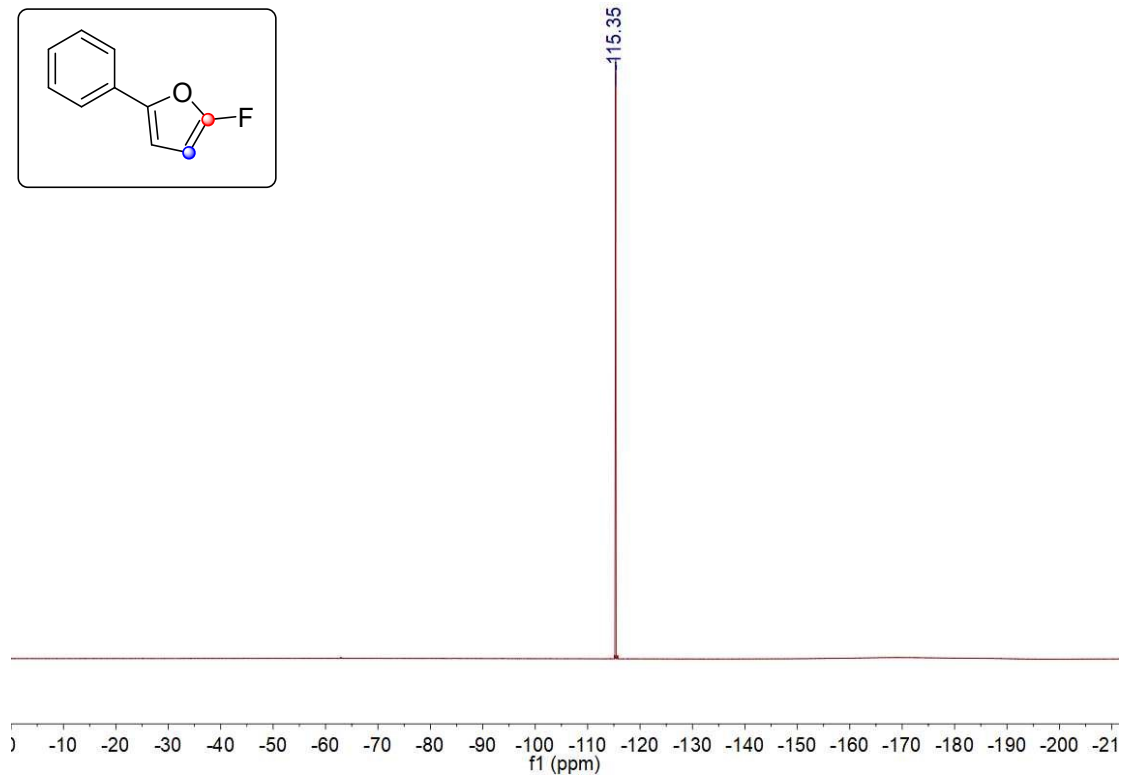
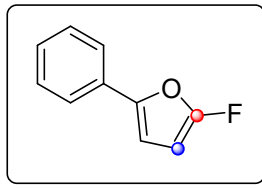
7.61
7.61
7.60
7.60
7.59
7.59
7.42
7.41
7.40
7.40
7.39
7.39
7.30
7.29
7.28
6.57
6.56
6.55
5.55
5.55
5.54
5.53



¹³C spectrum (CDCl₃) 2-fluoro-5-phenylfuran (7a)

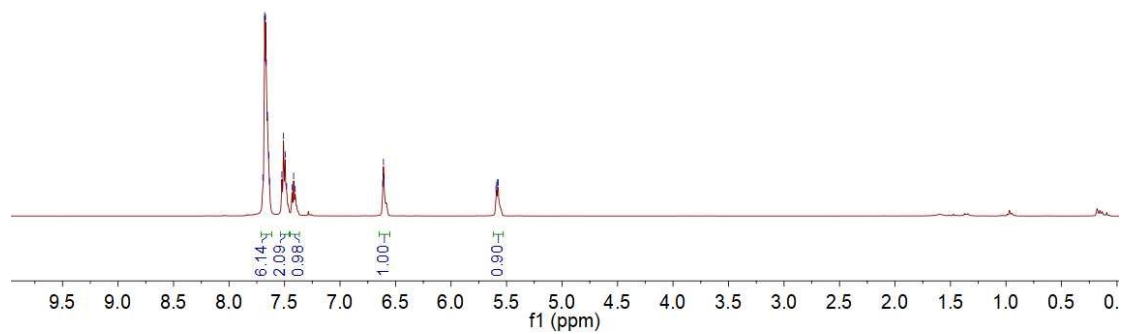
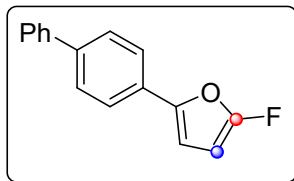


¹⁹F spectrum (CDCl₃) 2-fluoro-5-phenylfuran (7a)

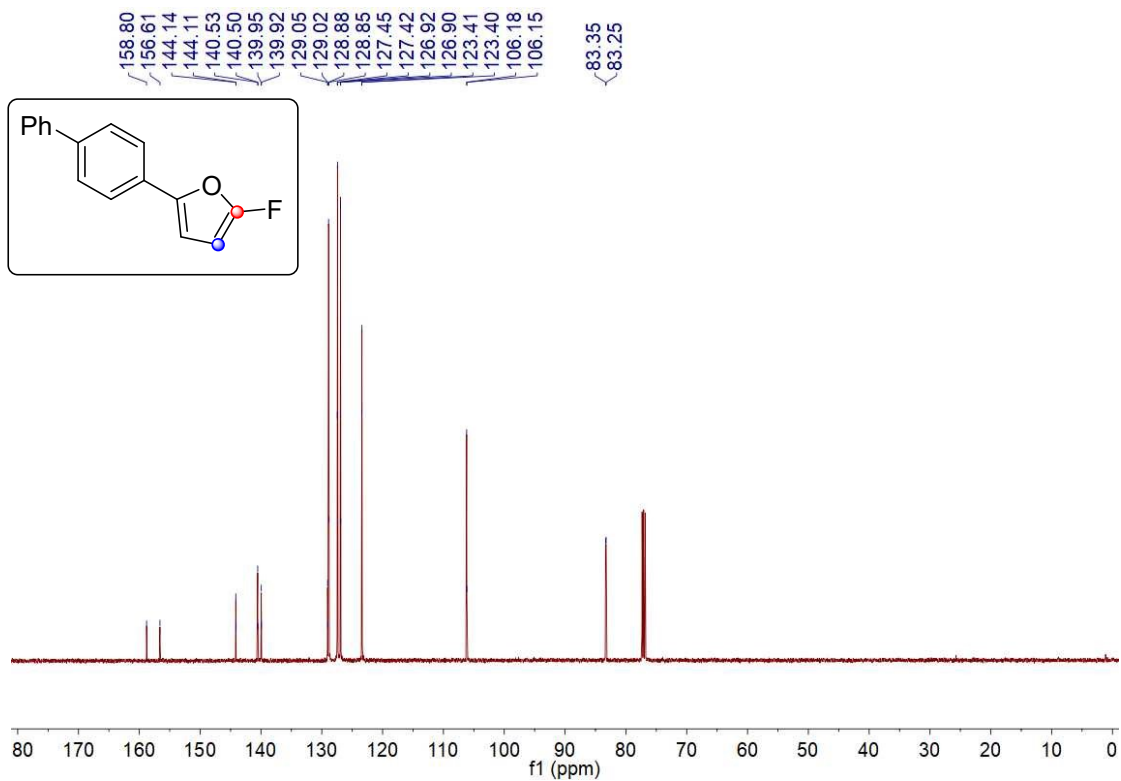


^1H spectrum (CDCl_3) 2-([1,1'-biphenyl]-4-yl)-5-fluorofuran (7b)

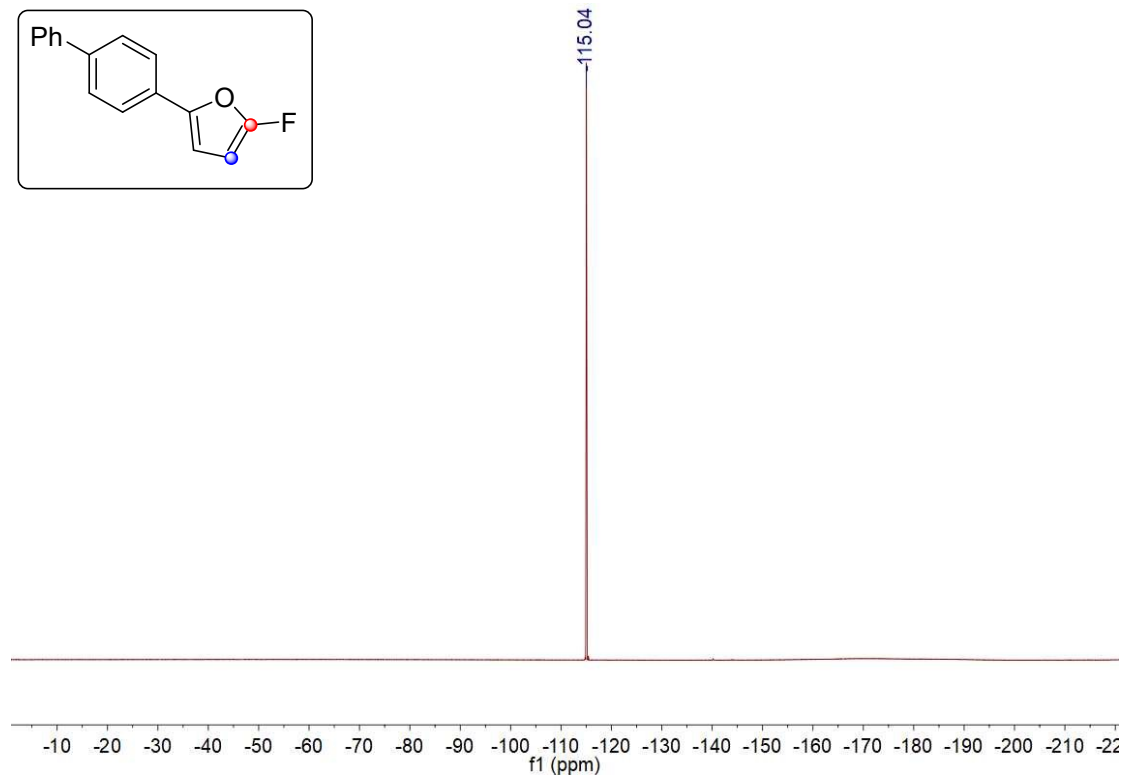
7.70
7.68
7.67
7.66
7.65
7.64
7.63
7.52
7.51
7.49
7.48
7.43
7.42
7.40
6.62
6.61
6.60
5.60
5.59
5.58
5.57



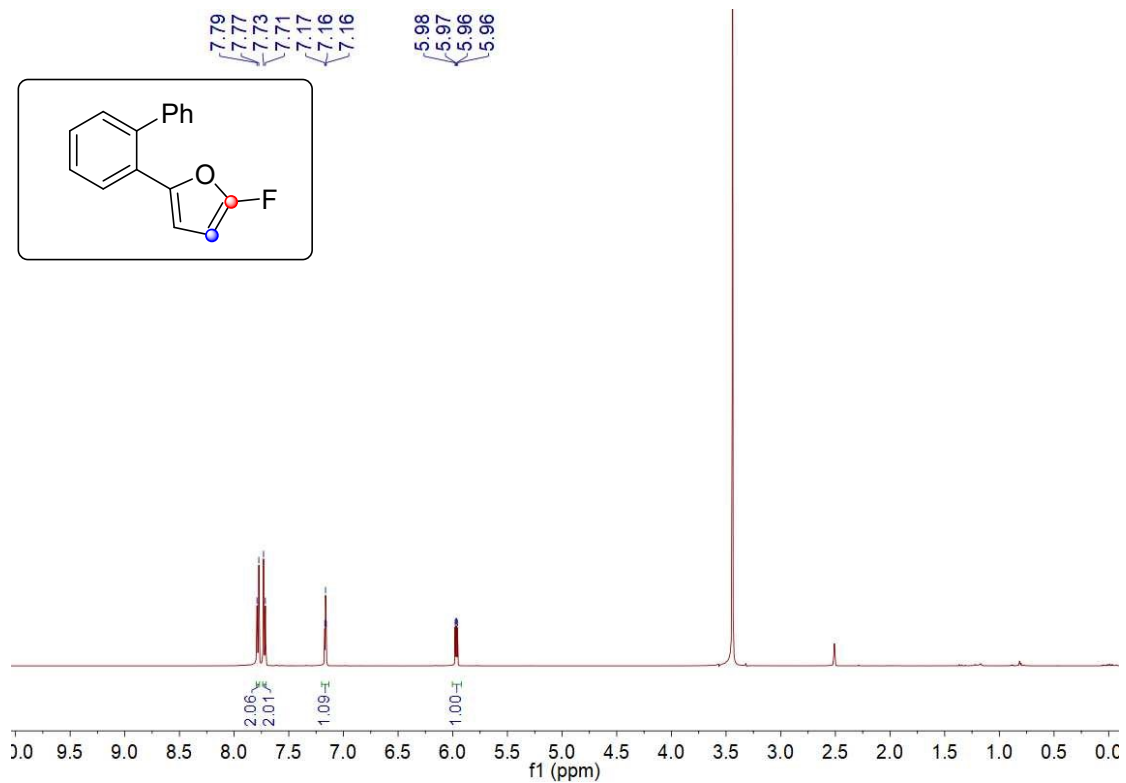
^{13}C spectrum (CDCl_3) 2-([1,1'-biphenyl]-4-yl)-5-fluorofuran (7b)



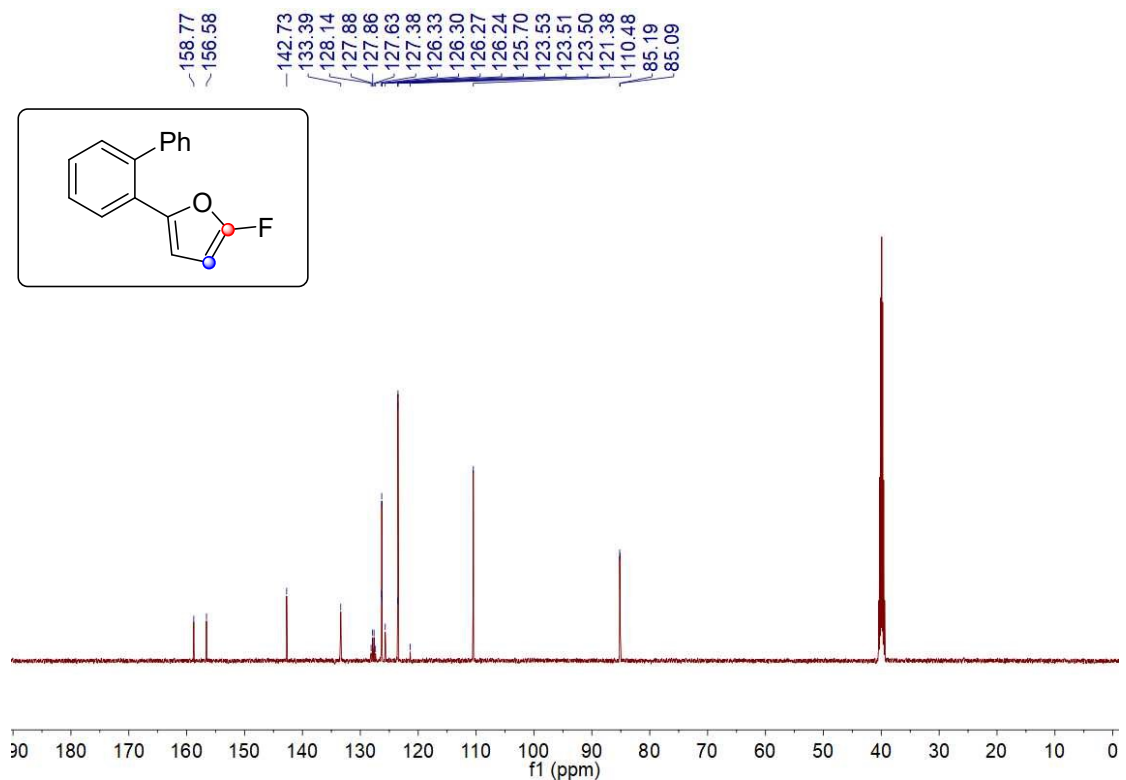
¹⁹F spectrum (CDCl₃) 2-([1,1'-biphenyl]-4-yl)-5-fluorofuran (7b)



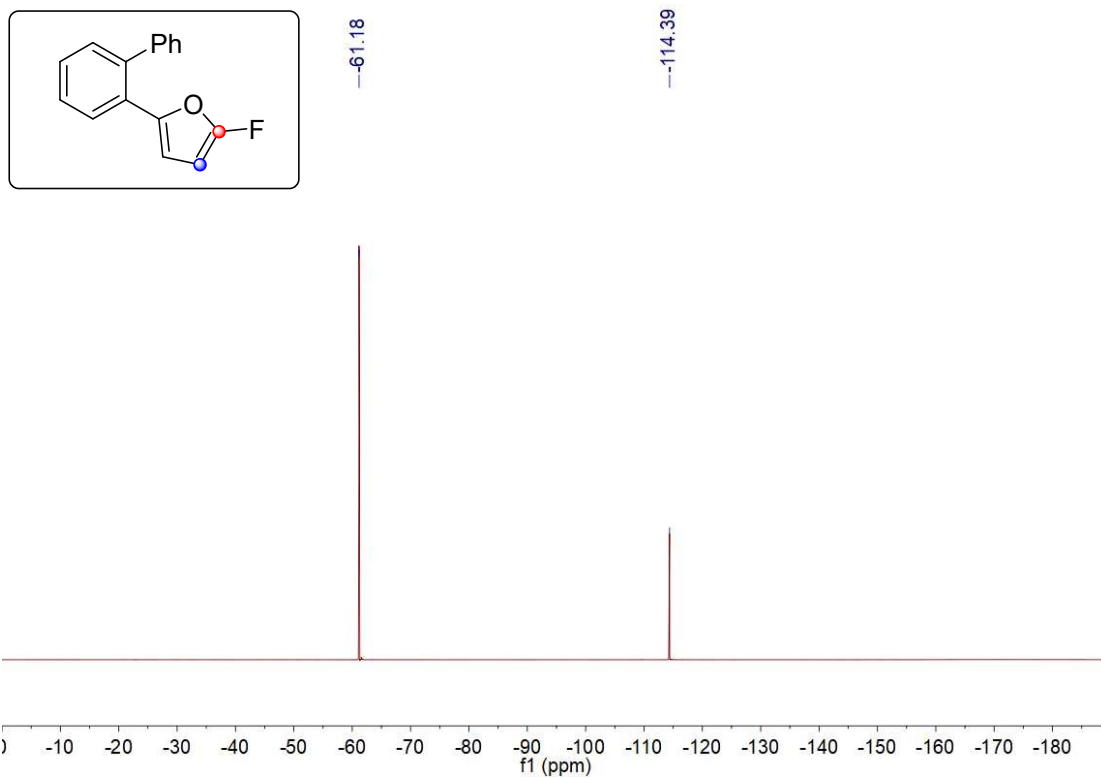
¹H spectrum (DMSO-*d*₆) 2-([1,1'-biphenyl]-2-yl)-5-fluorofuran (7c)



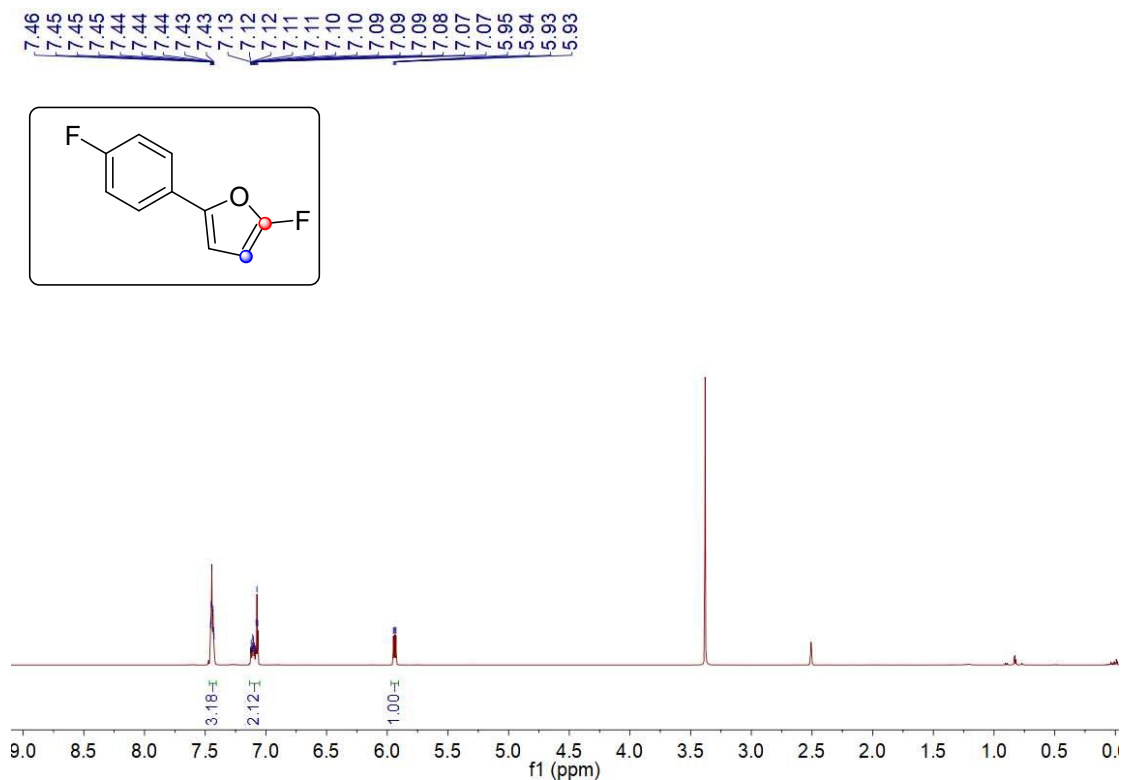
¹³C spectrum (DMSO-*d*₆) 2-([1,1'-biphenyl]-2-yl)-5-fluorofuran (7c)



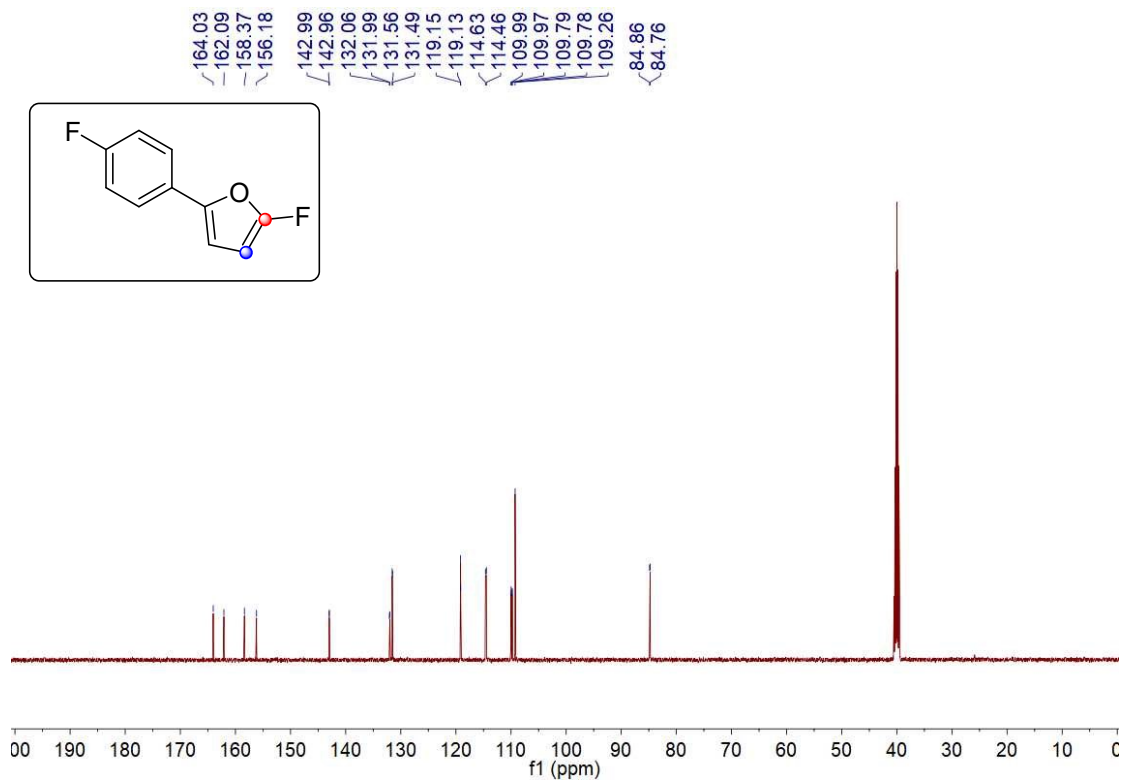
¹⁹F spectrum (DMSO-*d*₆) 2-([1,1'-biphenyl]-2-yl)-5-fluorofuran (7c)



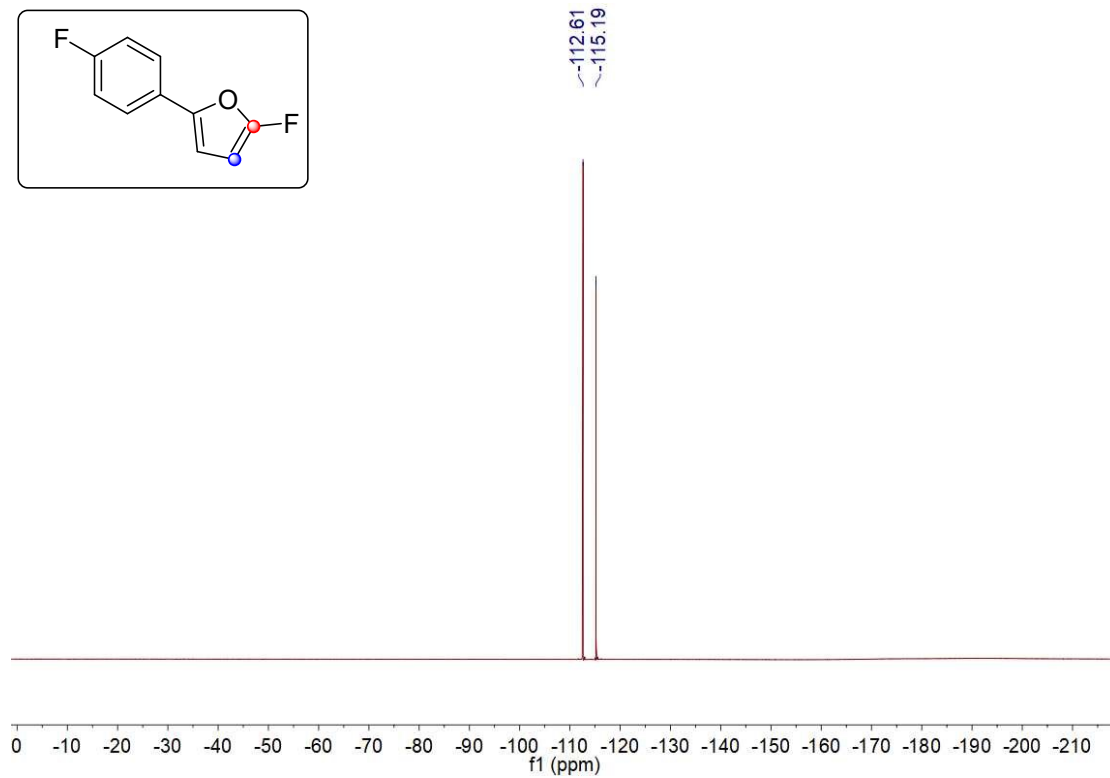
¹H spectrum (DMSO-*d*₆) 2-fluoro-5-(4-fluorophenyl) furan (7d)



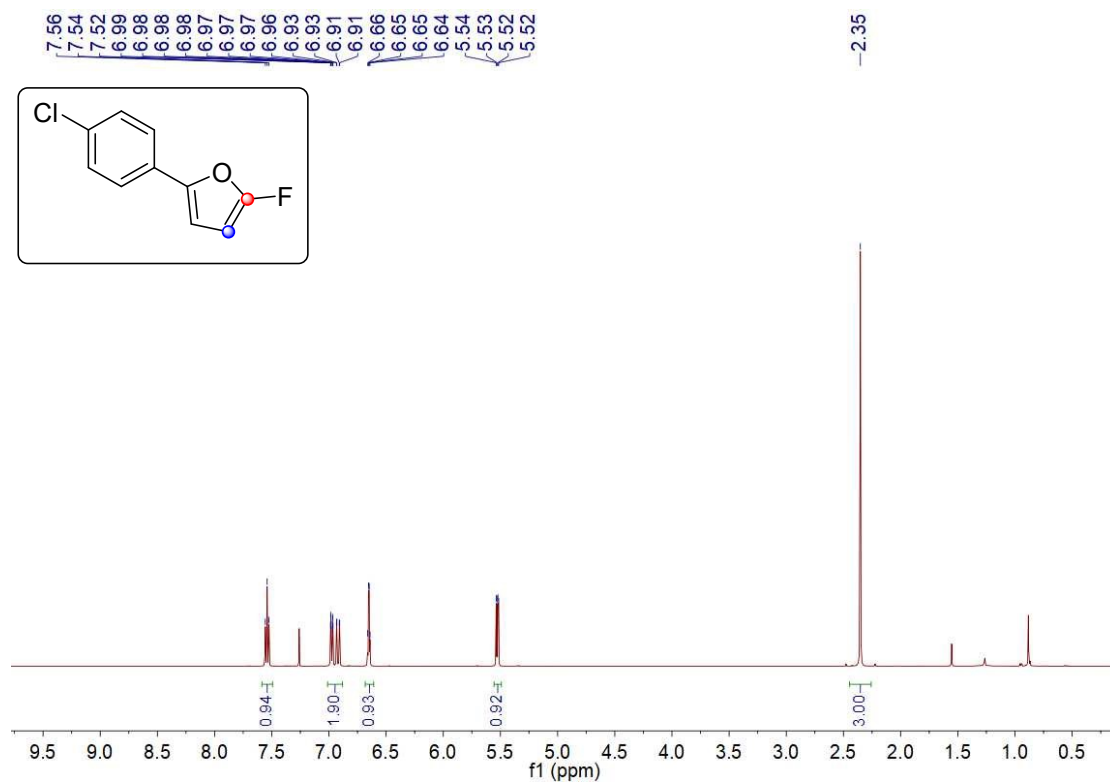
¹³C spectrum (DMSO-*d*₆) 2-fluoro-5-(4-fluorophenyl) furan (7d)



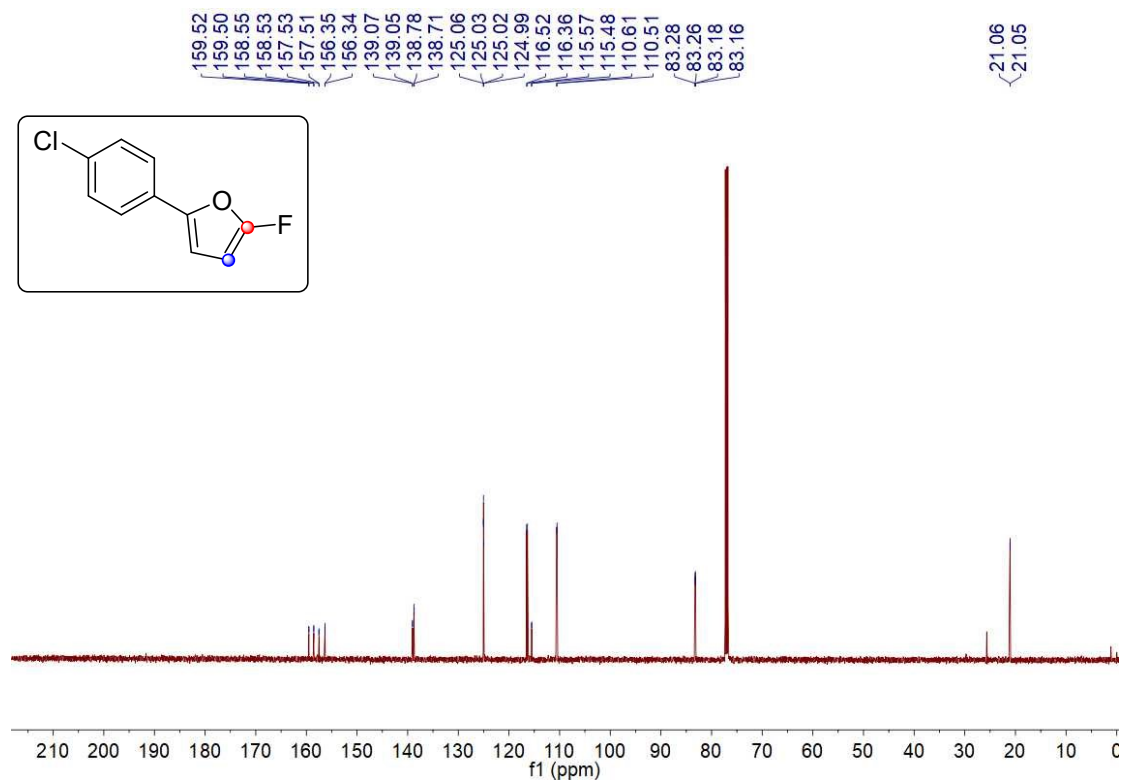
¹⁹F spectrum (DMSO-*d*₆) 2-fluoro-5-(4-fluorophenyl) furan (7d)



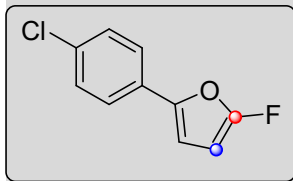
¹H spectrum (CDCl₃) 2-(4-chlorophenyl)-5-fluorofuran (7e)



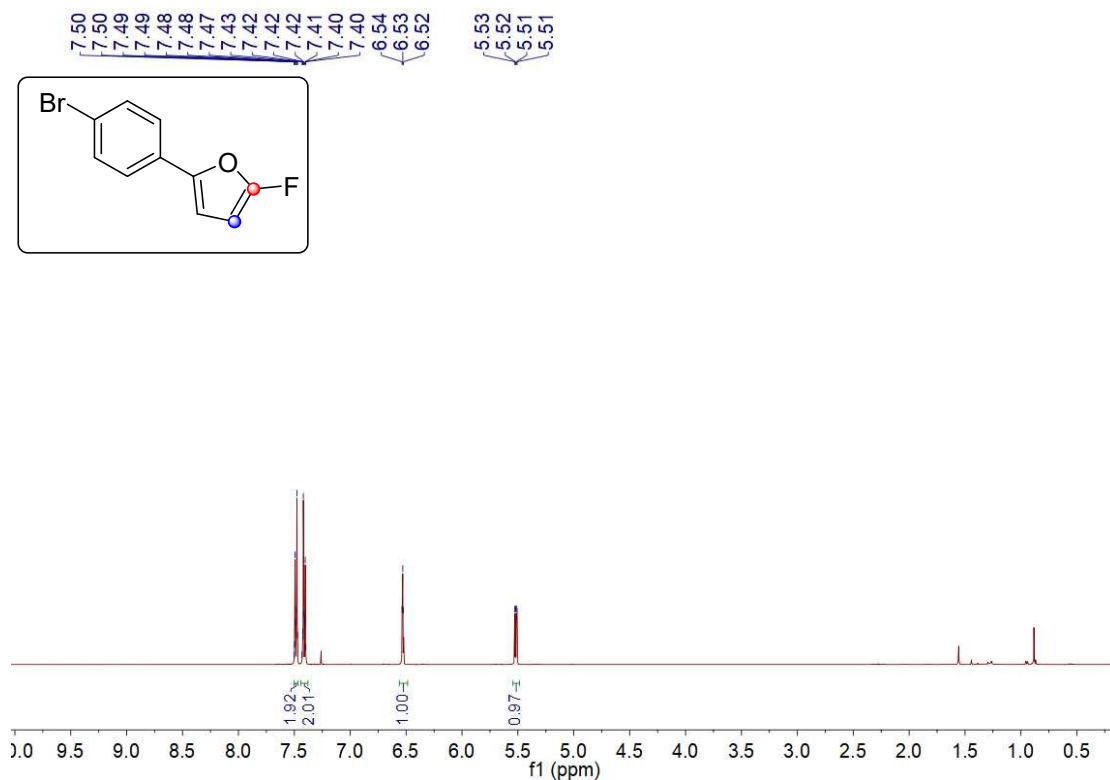
¹³C spectrum (CDCl₃) 2-(4-chlorophenyl)-5-fluorofuran (7e)



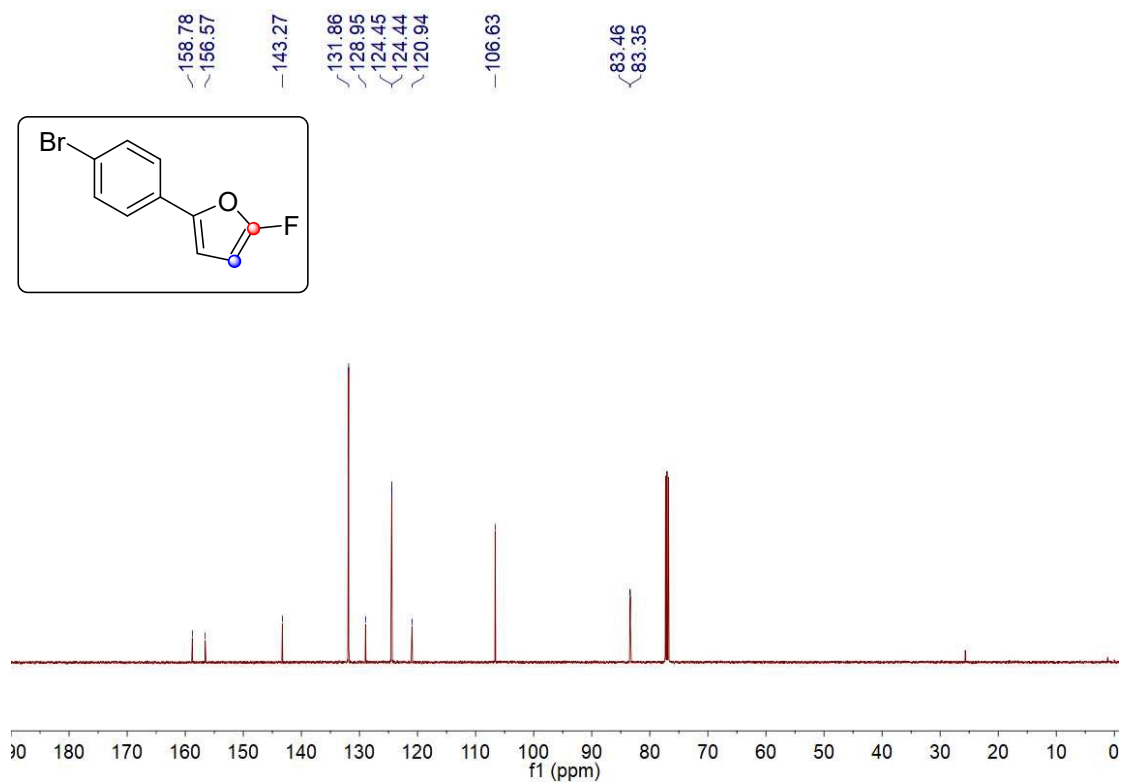
¹⁹F spectrum (CDCl₃) 2-(4-chlorophenyl)-5-fluorofuran (7e)



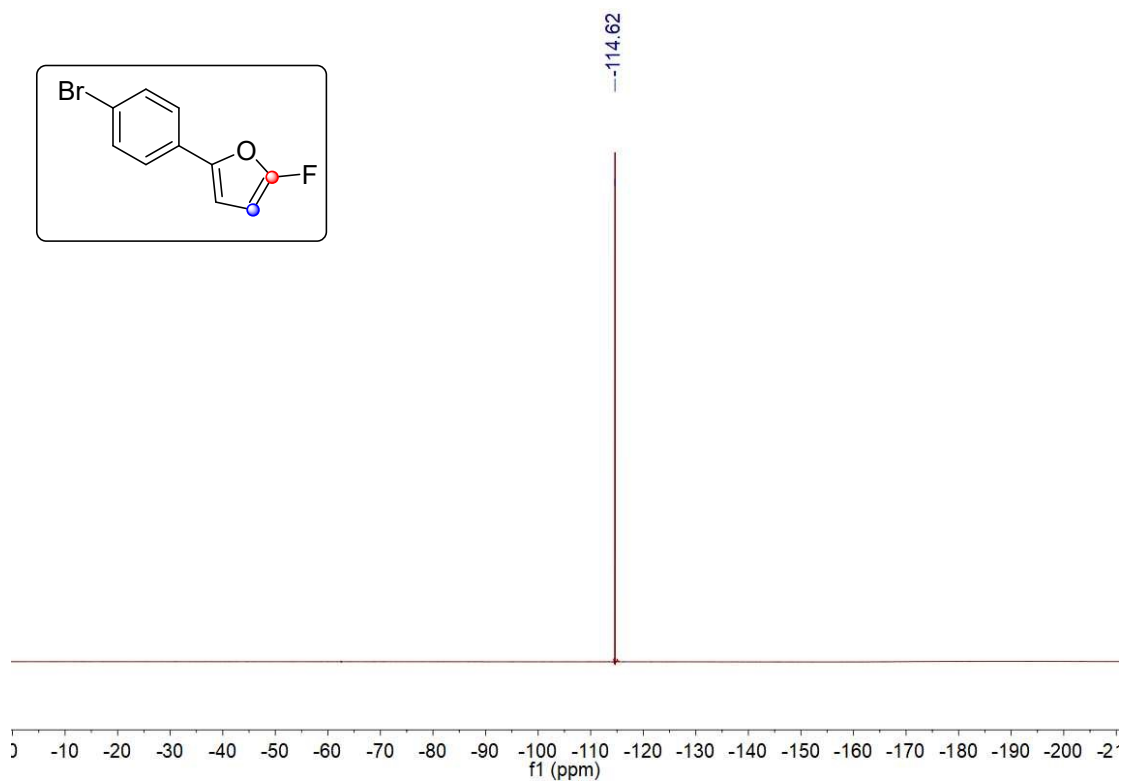
^1H spectrum (CDCl_3) 2-(4-bromophenyl)-5-fluorofuran (7f)



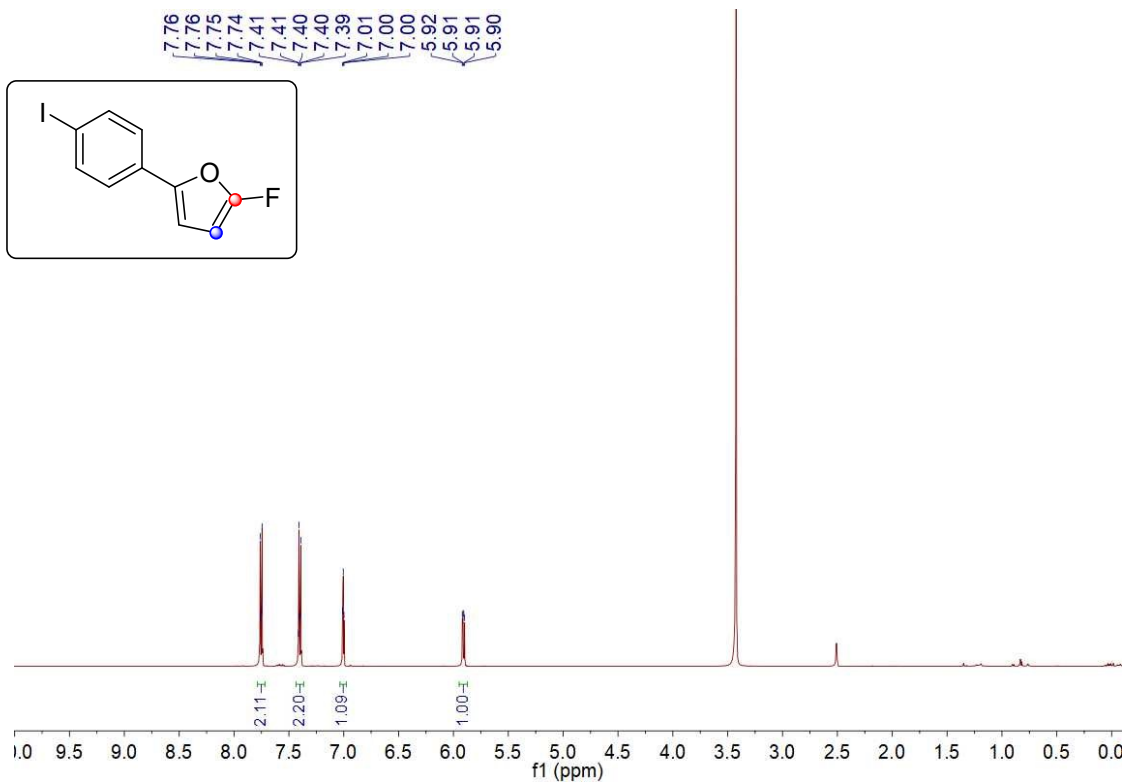
^{13}C spectrum (CDCl_3) 2-(4-bromophenyl)-5-fluorofuran (7f)



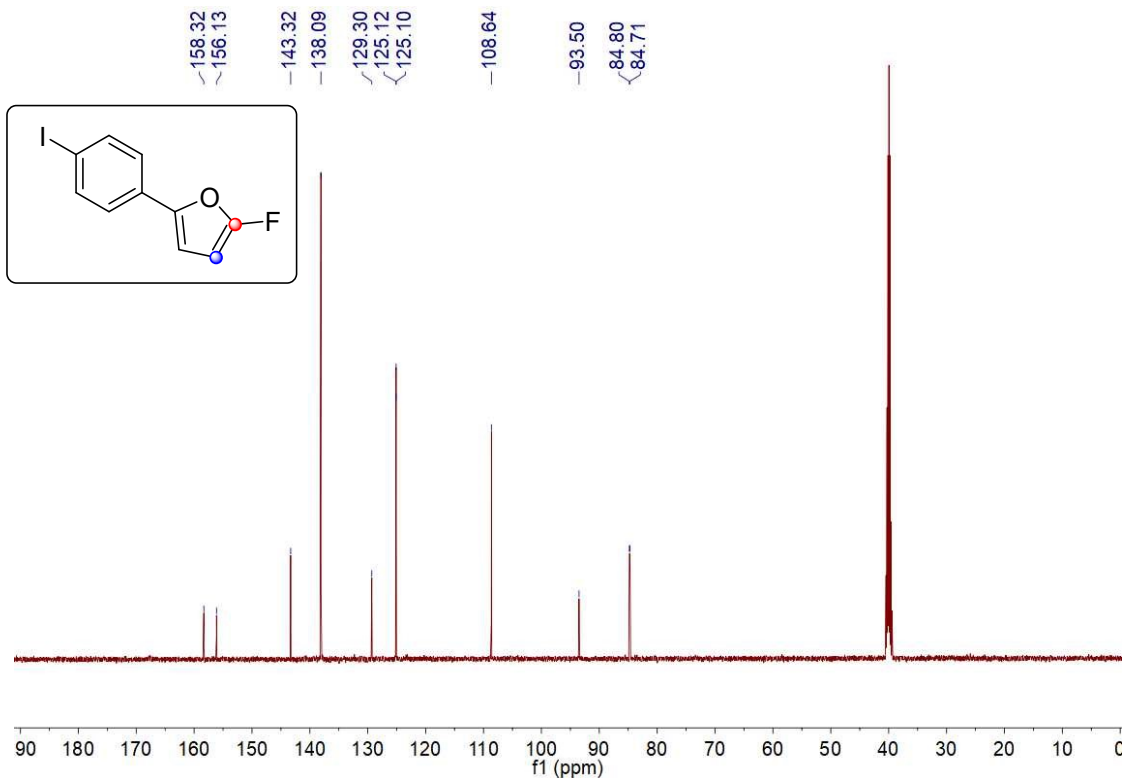
¹⁹F spectrum (CDCl₃) 2-(4-bromophenyl)-5-fluorofuran (7f)



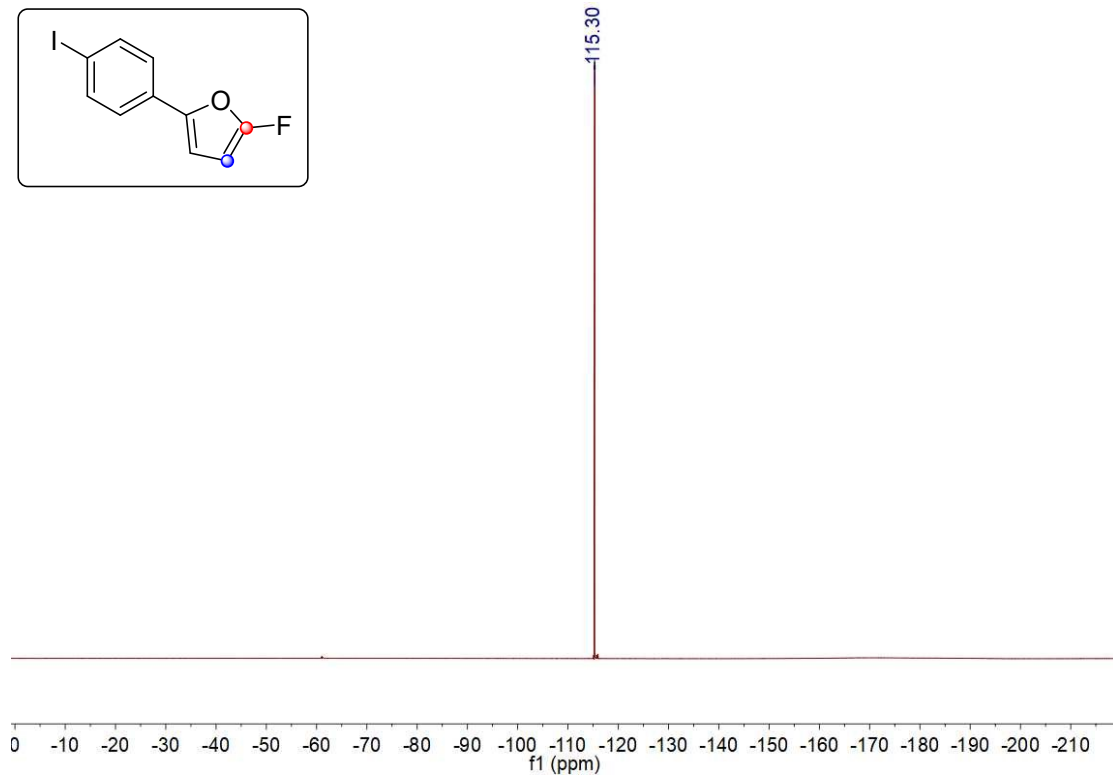
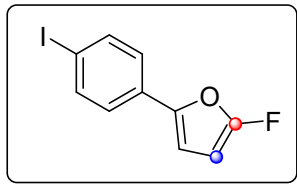
¹H spectrum (DMSO-*d*₆) 2-fluoro-5-(4-iodophenyl)furan (7g)



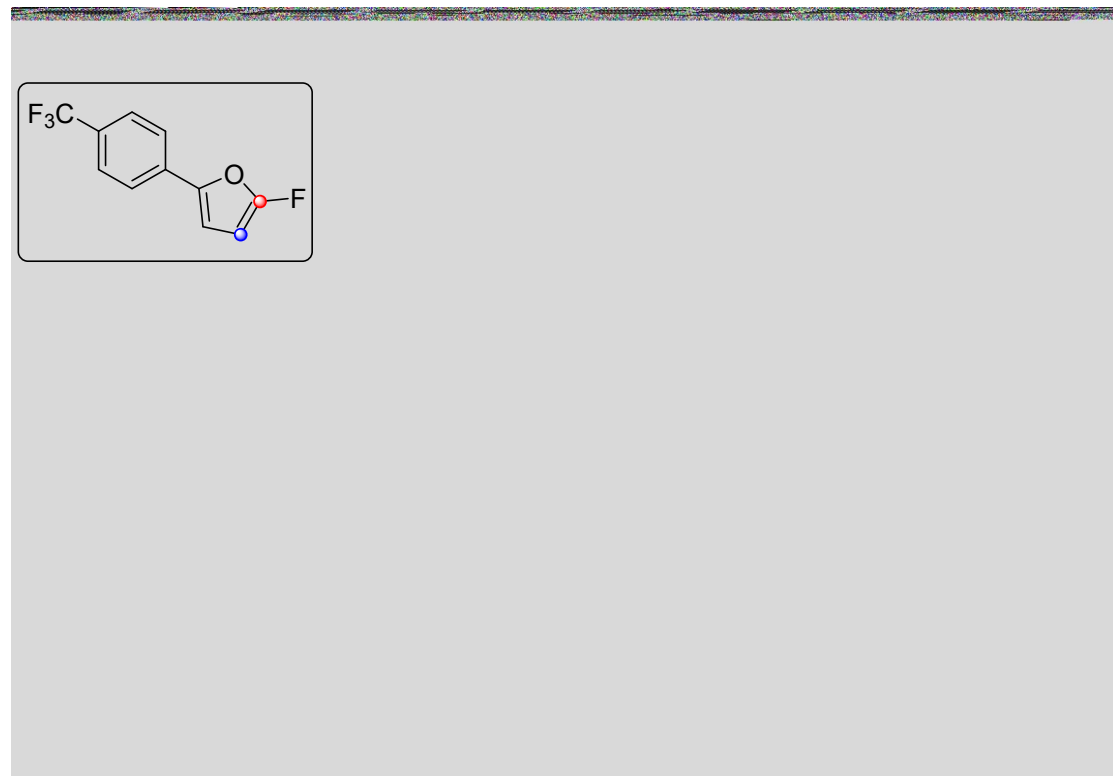
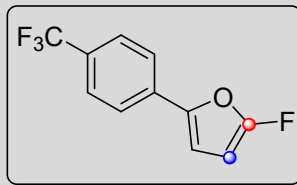
¹³C spectrum (DMSO-*d*₆) 2-fluoro-5-(4-iodophenyl)furan (7g)



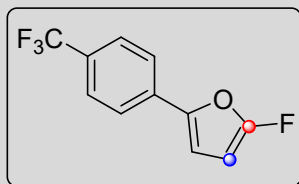
¹⁹F spectrum (DMSO-*d*₆) 2-fluoro-5-(4-iodophenyl)furan (7g)



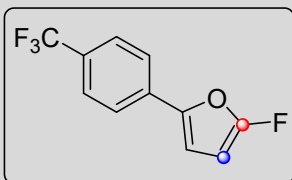
^1H spectrum (DMSO- d_6) 2-fluoro-5-(4-(trifluoromethyl)phenyl)furan (7h)



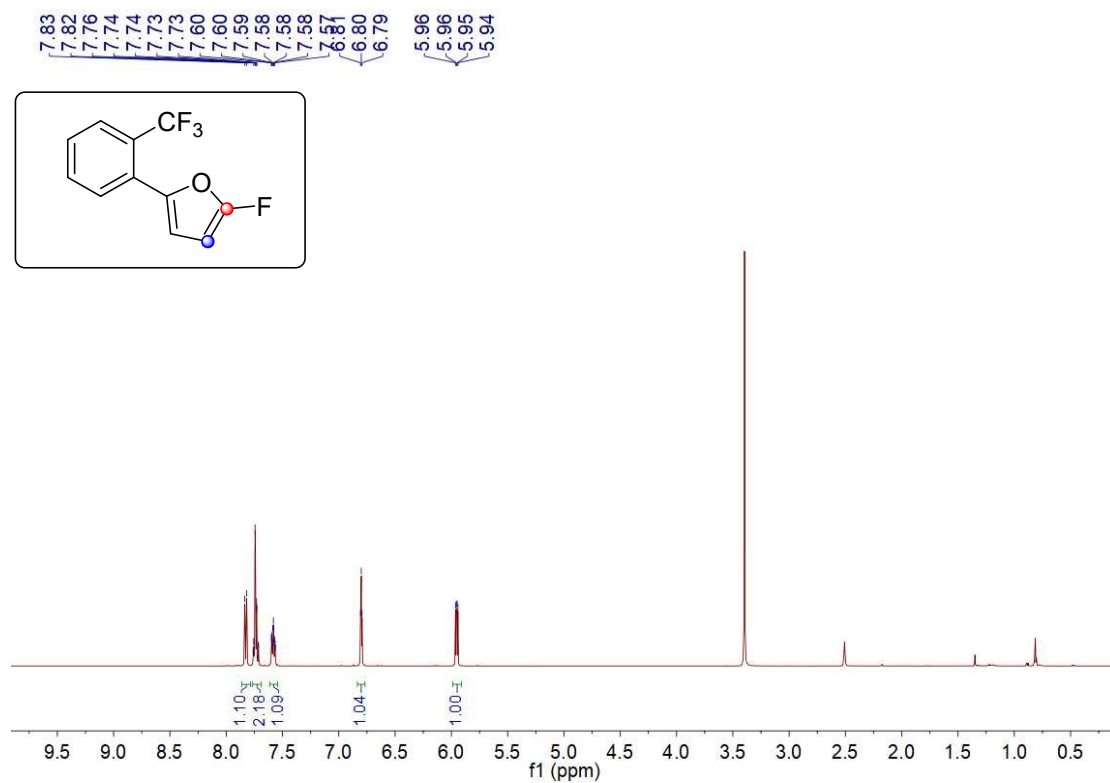
^{13}C spectrum (DMSO- d_6) 2-fluoro-5-(4-(trifluoromethyl)phenyl)furan (7h)



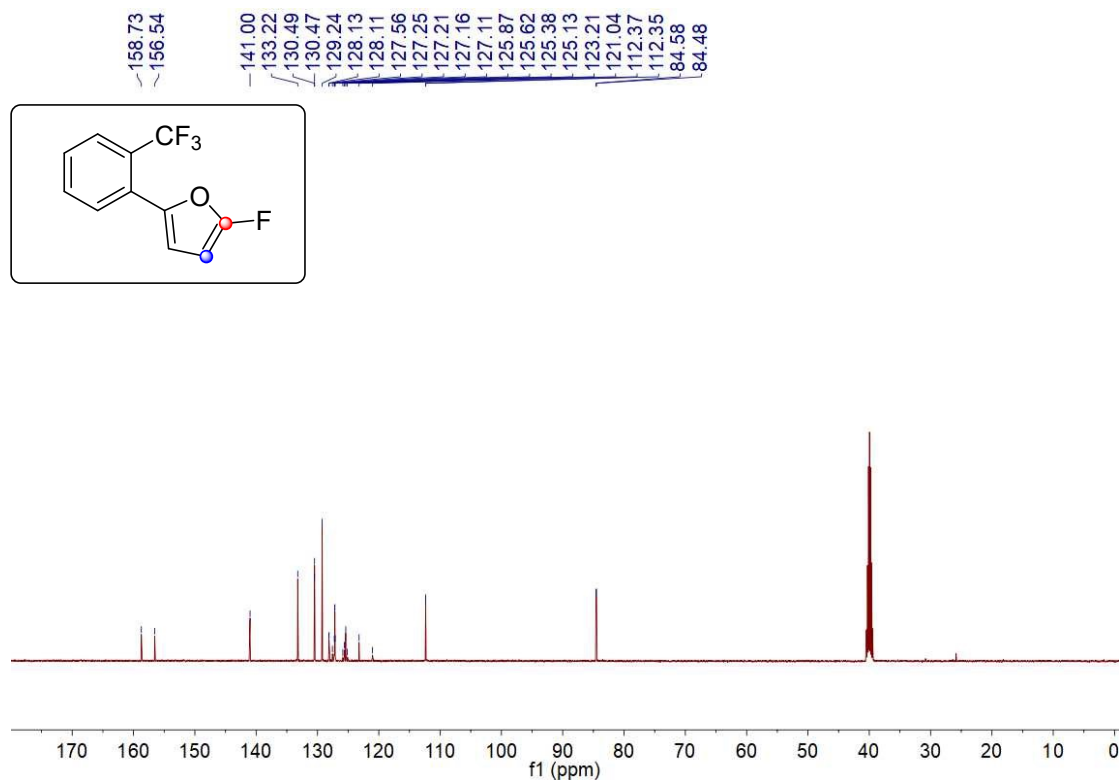
^{19}F spectrum (DMSO- d_6) 2-fluoro-5-(4-(trifluoromethyl)phenyl)furan (7h)



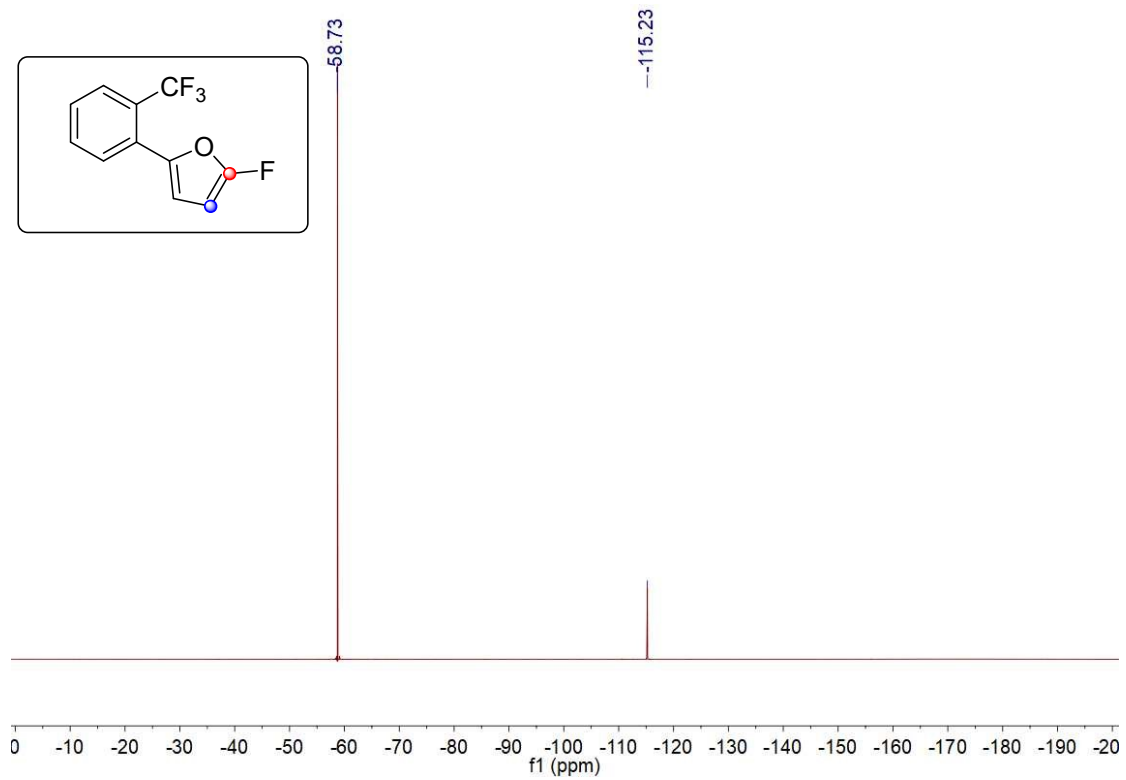
^1H spectrum (DMSO- d_6) 2-fluoro-5-(2-(trifluoromethyl)phenyl)furan (7i)



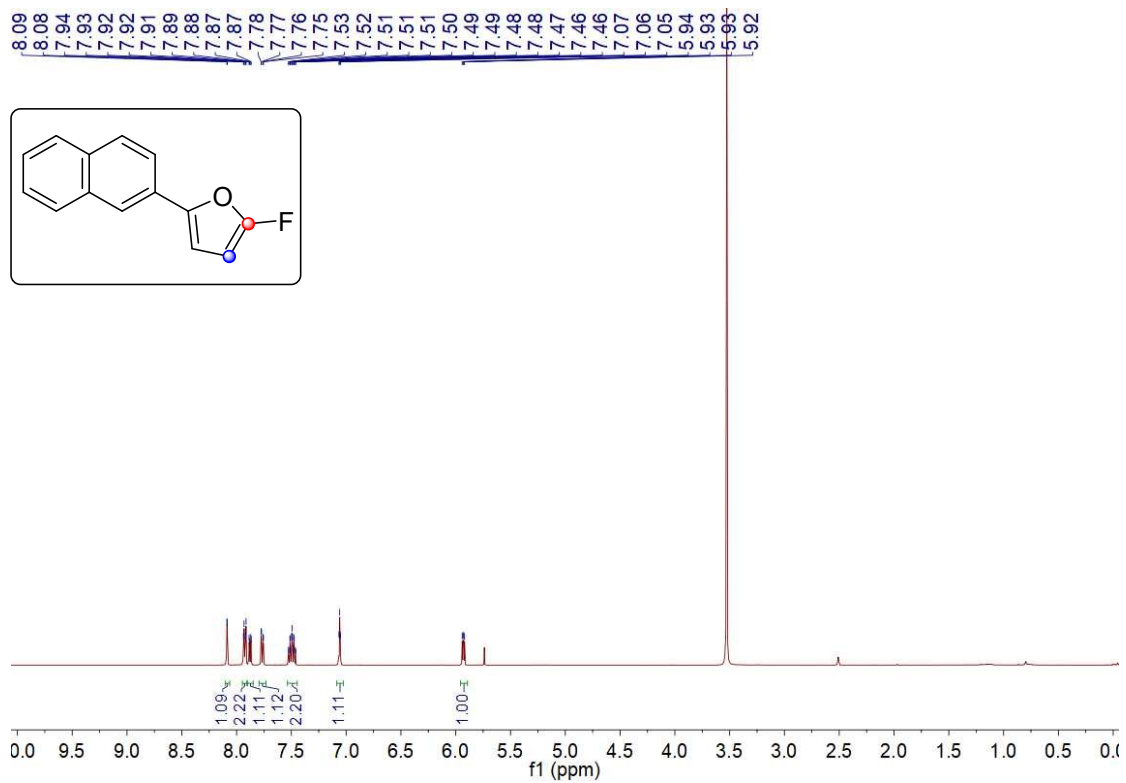
¹³C spectrum (DMSO-*d*₆) 2-fluoro-5-(2-(trifluoromethyl)phenyl)furan (7i)



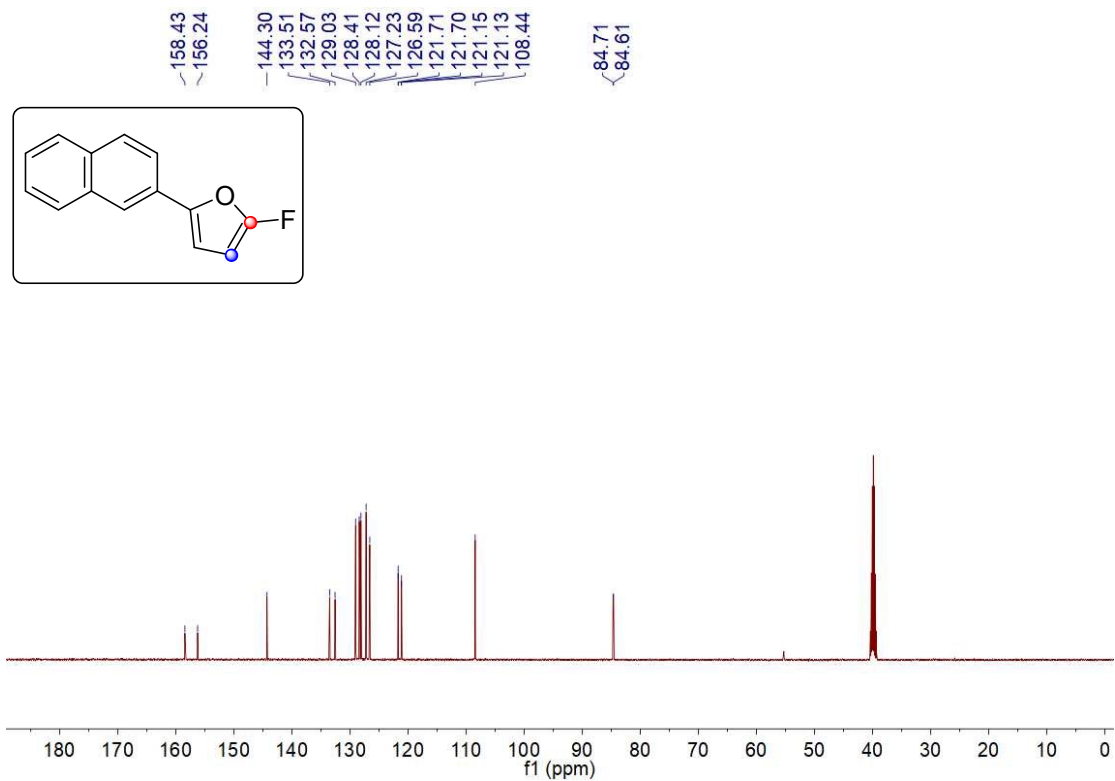
¹⁹F spectrum (DMSO-*d*₆) 2-fluoro-5-(2-(trifluoromethyl)phenyl)furan (7i)



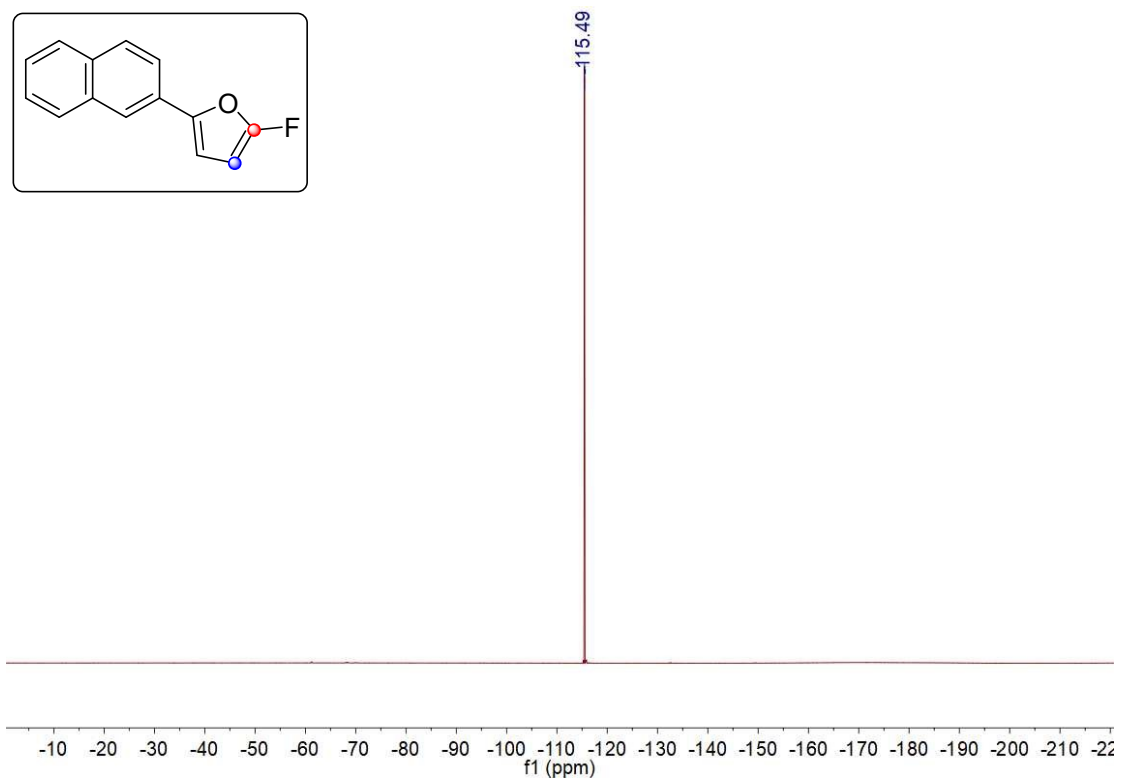
¹H spectrum (DMSO-*d*₆) 2-fluoro-5-(naphthalen-2-yl)furan (7j)



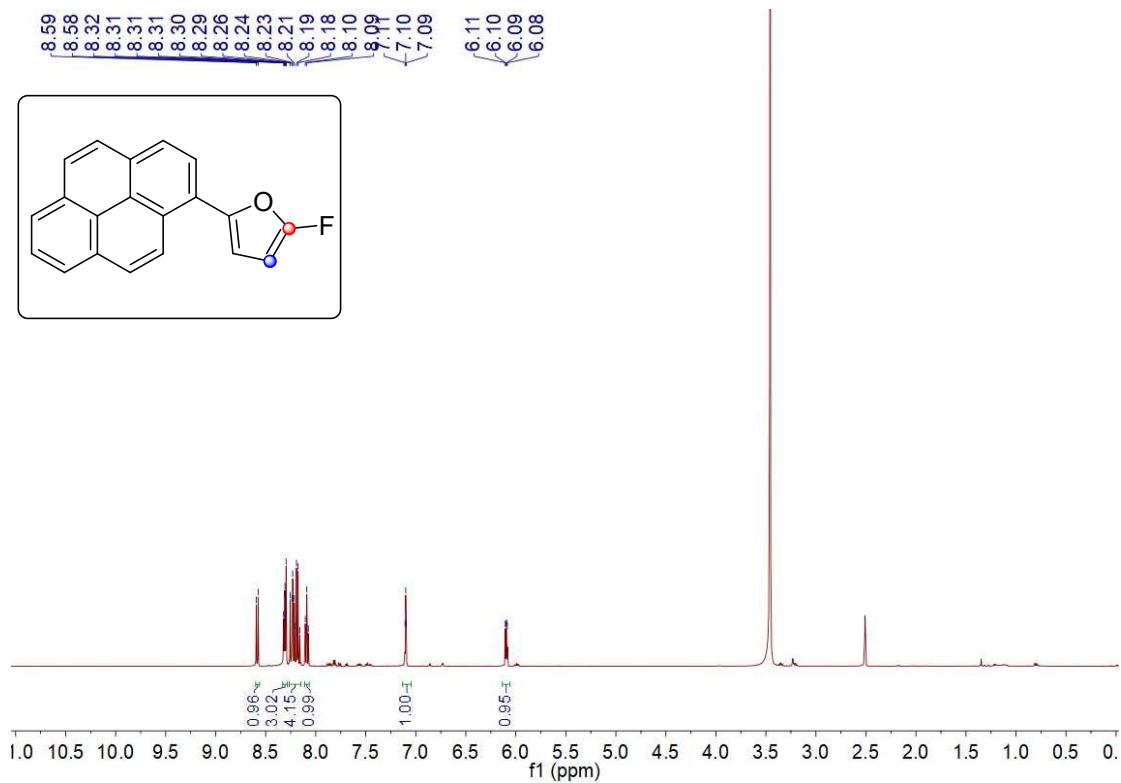
¹³C spectrum (DMSO-*d*₆) 2-fluoro-5-(naphthalen-2-yl)furan (7j)



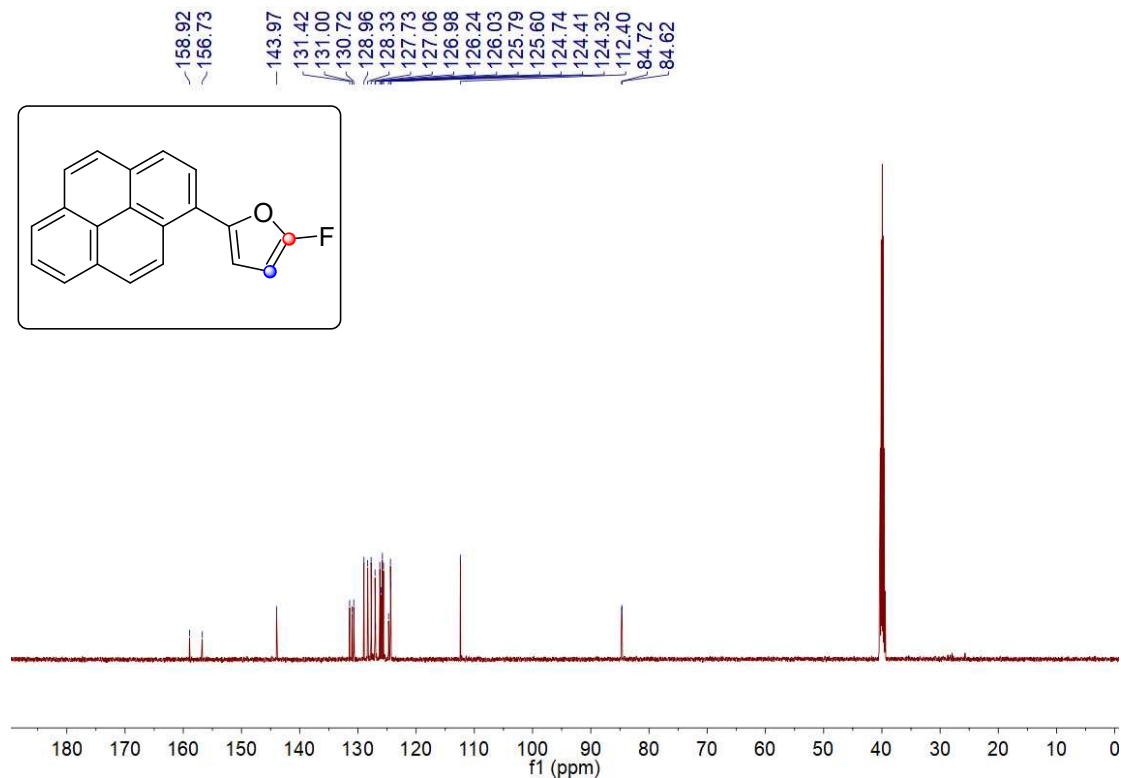
¹⁹F spectrum (DMSO-*d*₆) 2-fluoro-5-(naphthalen-2-yl)furan (7j)



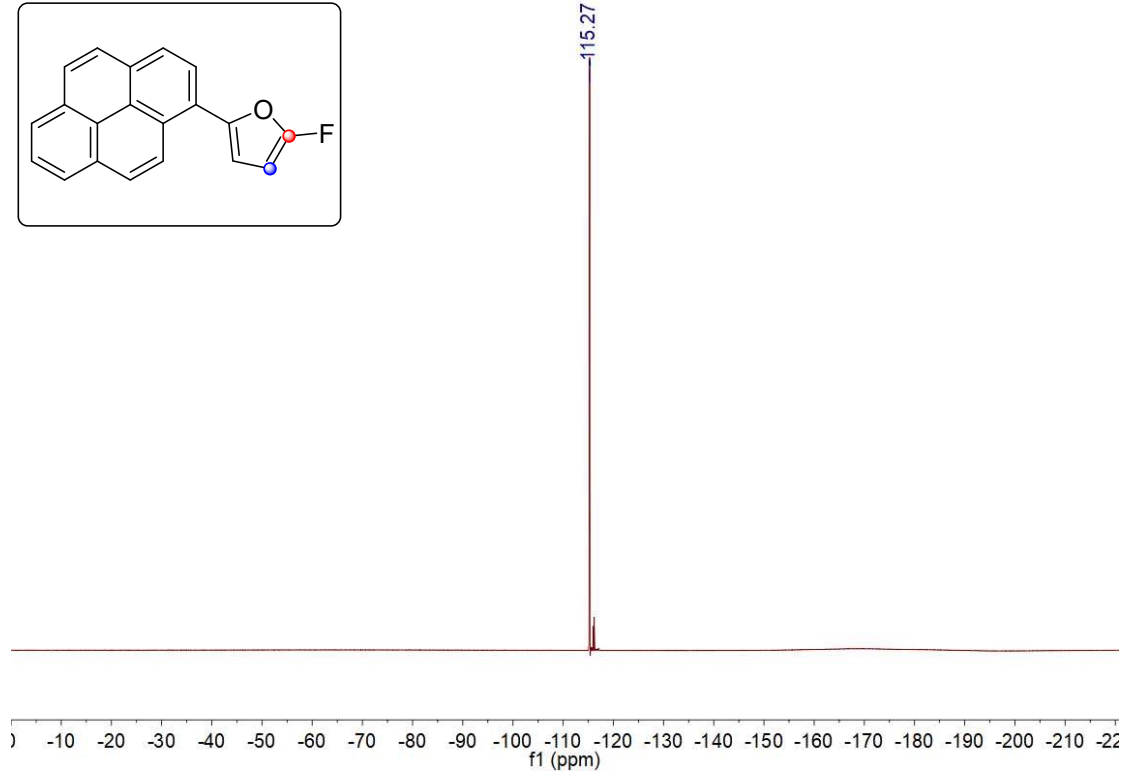
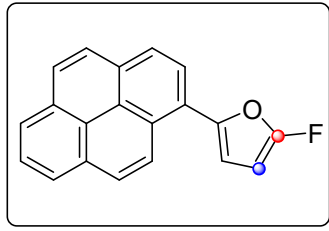
¹H spectrum (DMSO-*d*₆) 2-fluoro-5-(pyren-1-yl)furan (7k)



¹³C spectrum (DMSO-*d*₆) 2-fluoro-5-(pyren-1-yl)furan (7k)

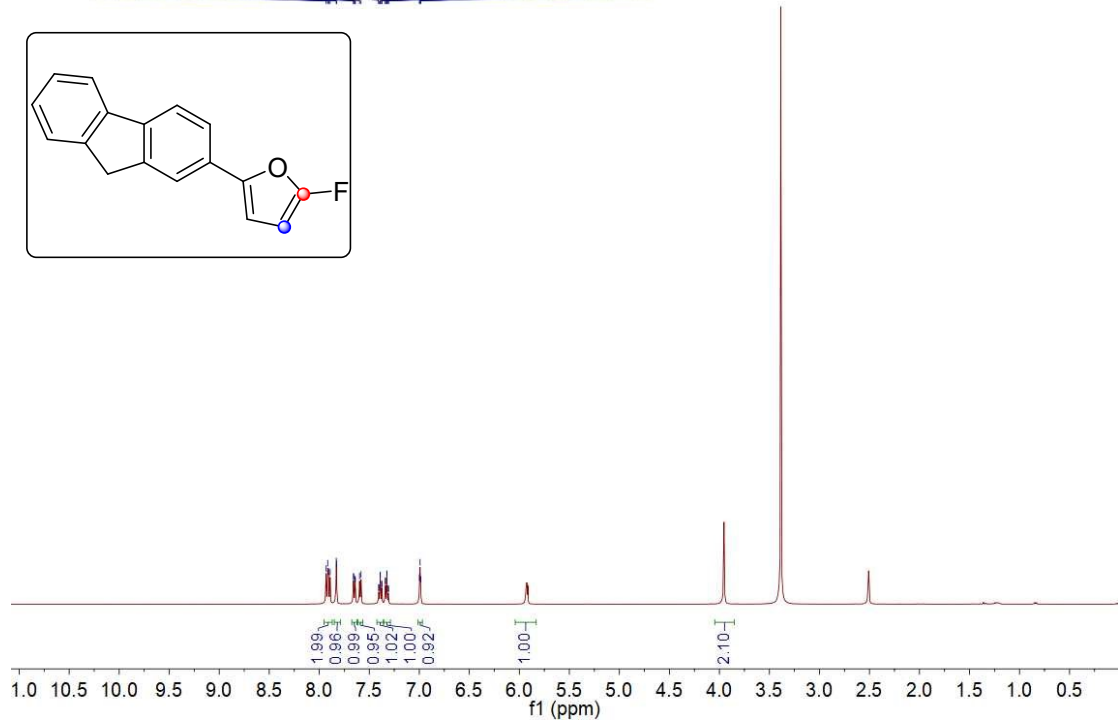
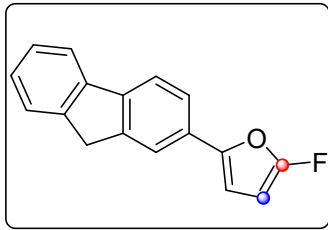


¹⁹F spectrum (DMSO-*d*₆) 2-fluoro-5-(pyren-1-yl)furan (7k)

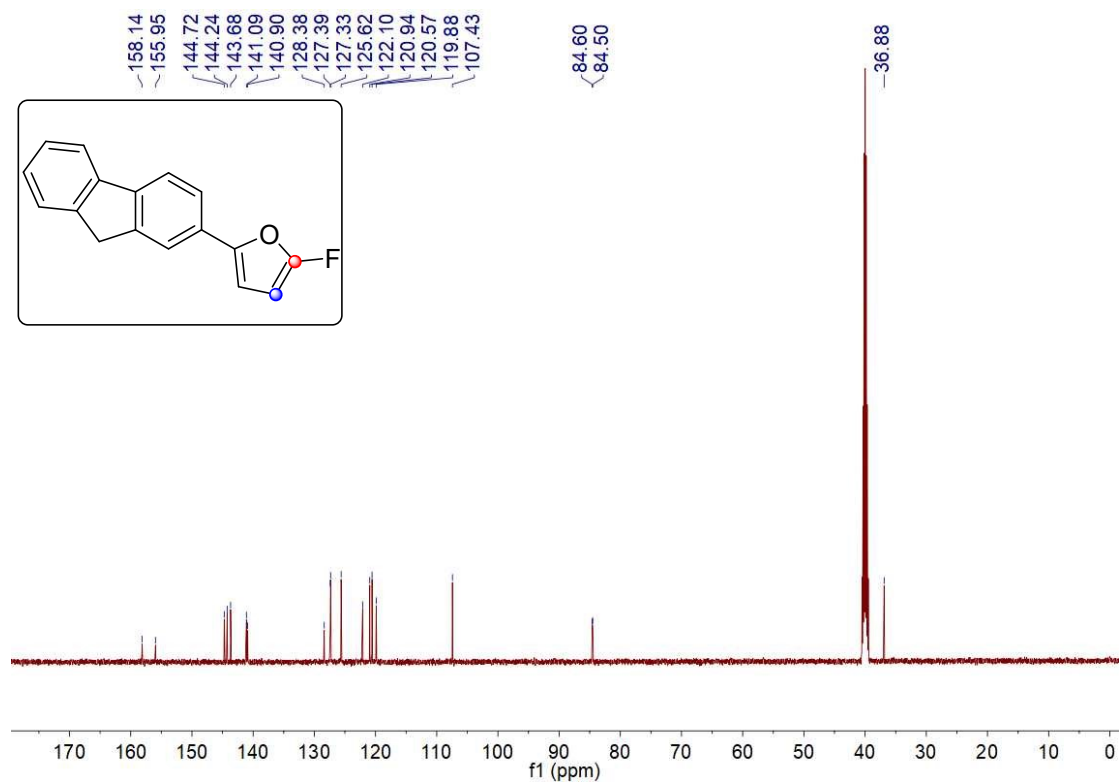


^1H spectrum (DMSO- d_6) 2-(9H-fluoren-2-yl)-5-fluorofuran (7I)

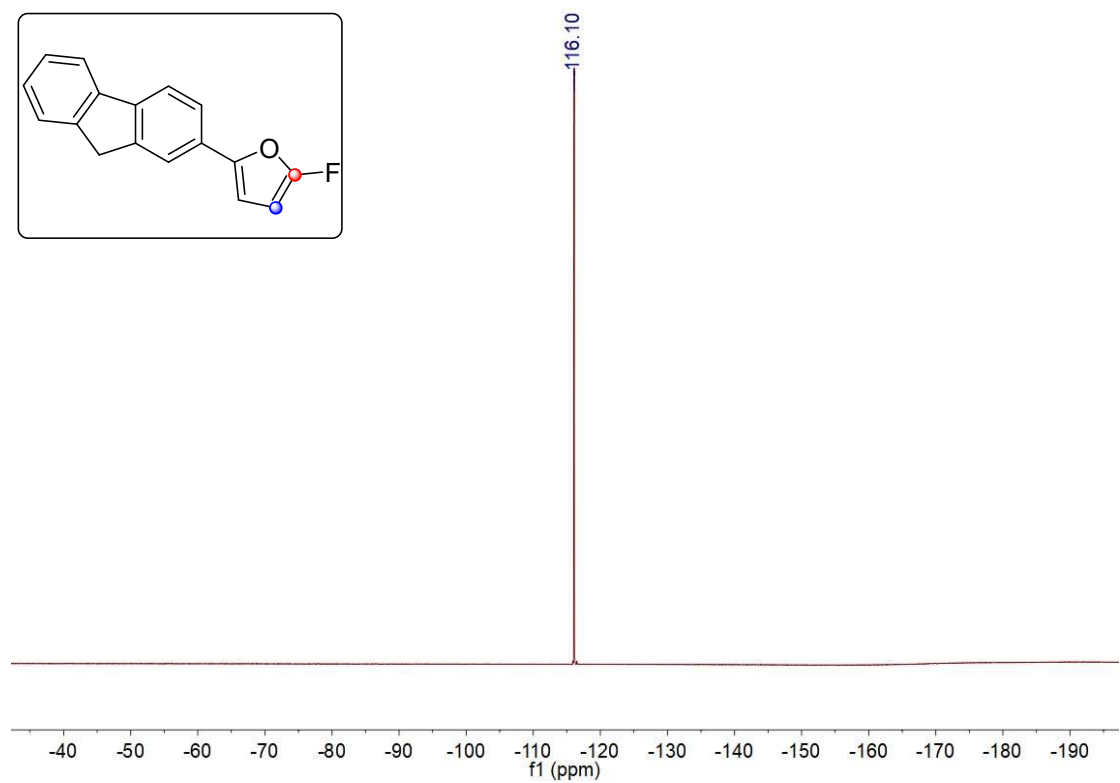
7.93
7.92
7.91
7.89
7.83
7.83
7.66
7.66
7.64
7.60
7.58
7.41
7.40
7.39
7.39
7.38
7.37
7.34
7.34
7.33
7.32
7.31
7.31
7.00
6.99
6.99



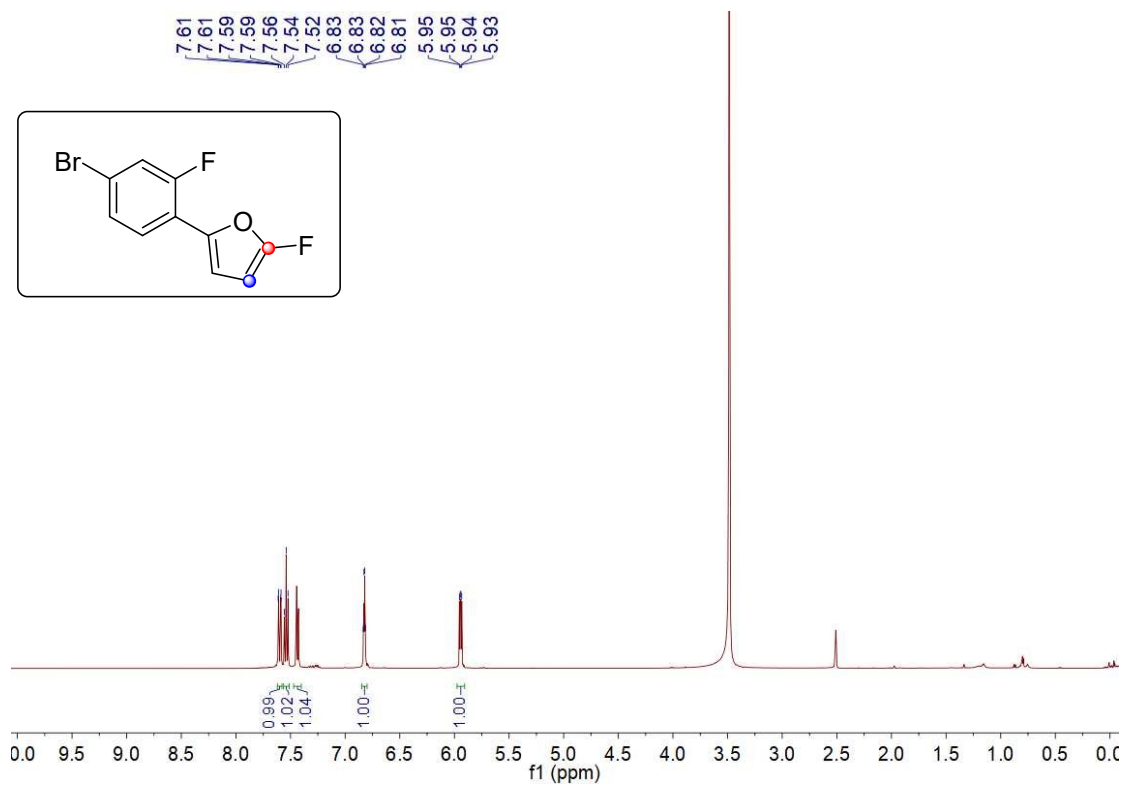
^{13}C spectrum (DMSO- d_6) 2-(9H-fluoren-2-yl)-5-fluorofuran (7I)



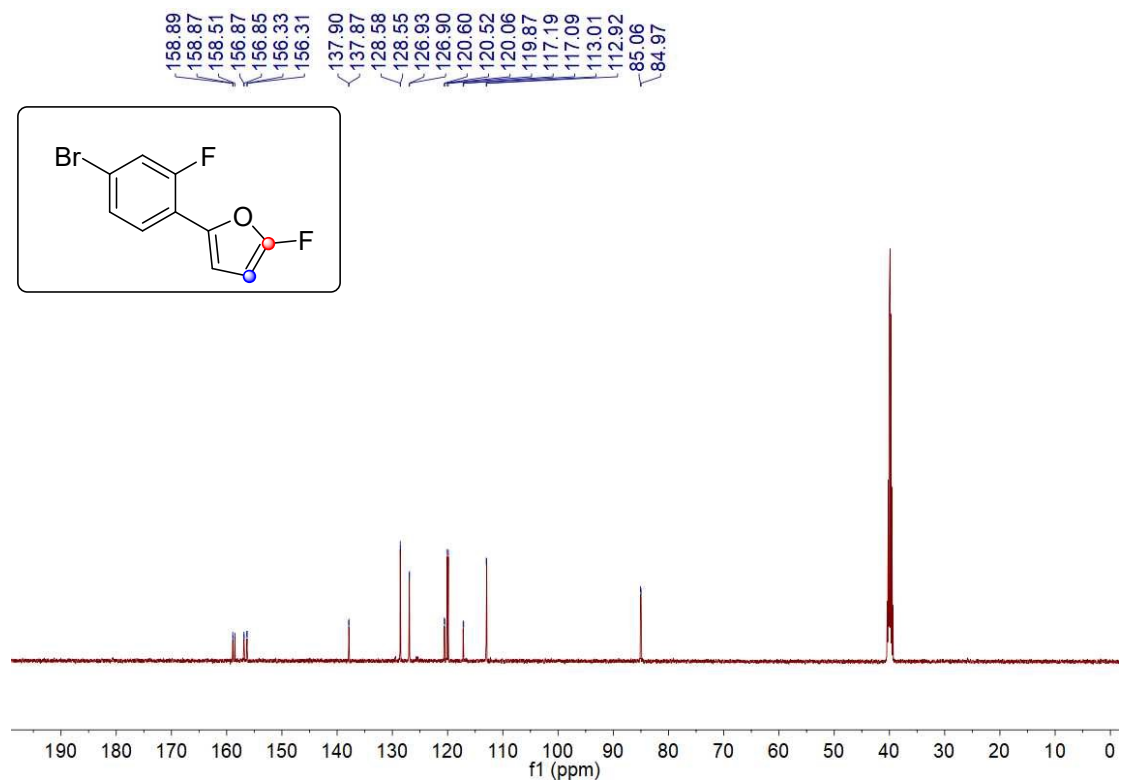
¹⁹F spectrum (DMSO-*d*₆) 2-(9H-fluoren-2-yl)-5-fluorofuran (7l)



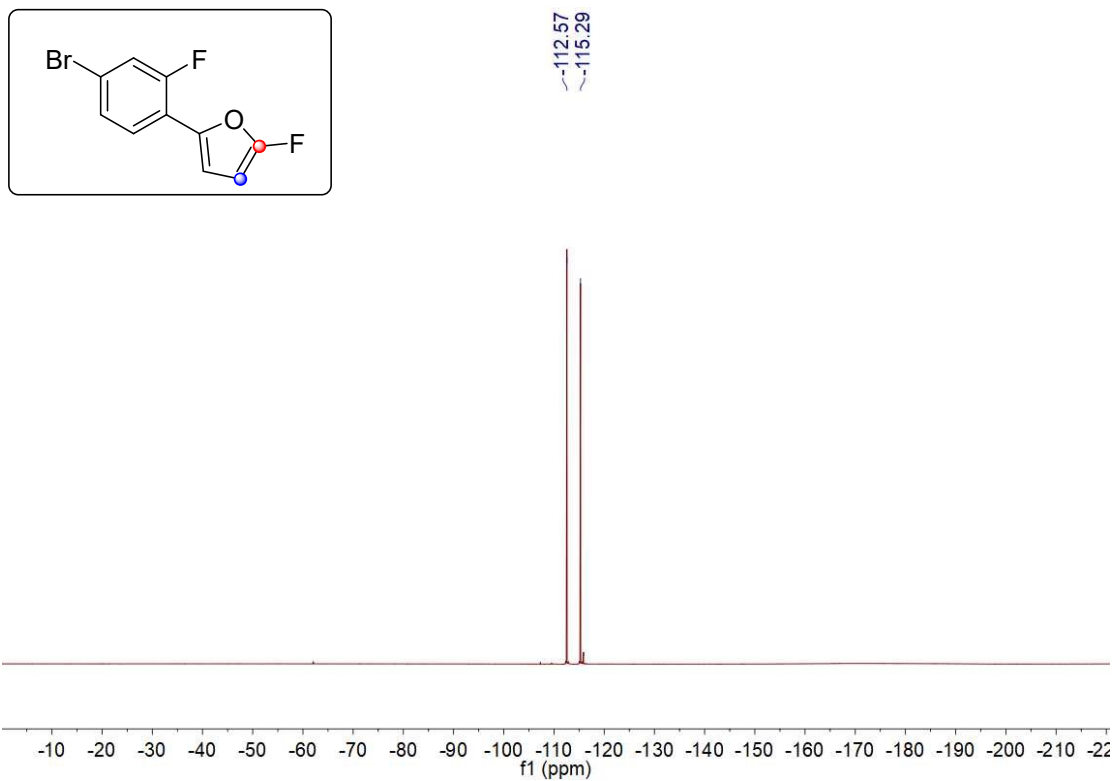
¹³C spectrum (DMSO-*d*₆) 2-(9H-fluoren-2-yl)-5-fluorofuran (7l)



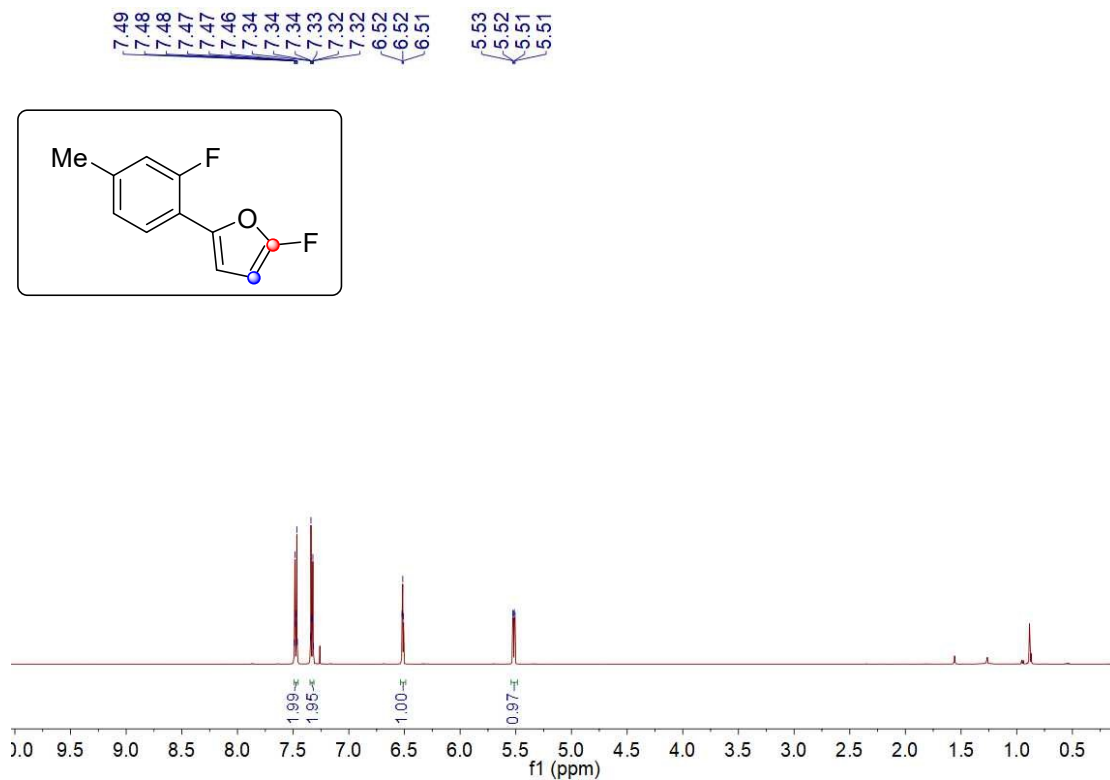
¹³C spectrum (DMSO-*d*₆) 2-(4-bromo-2-fluorophenyl)-5-fluorofuran (7m)



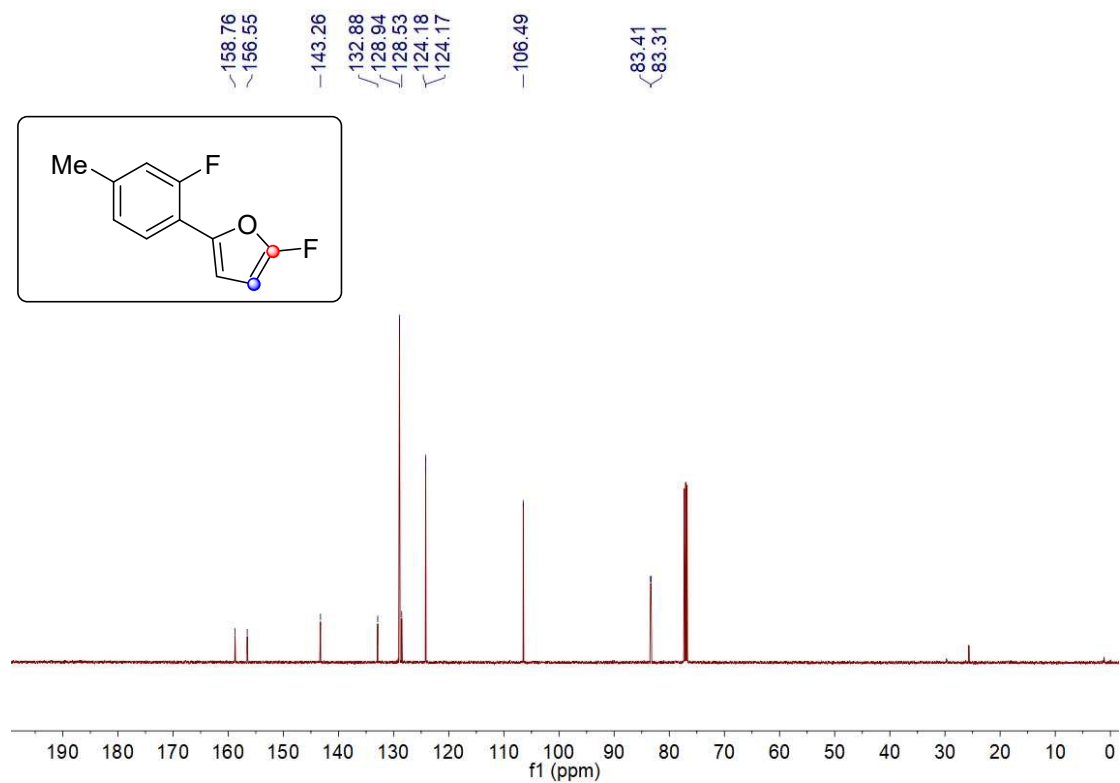
¹⁹F spectrum (DMSO-*d*₆) 2-(4-bromo-2-fluorophenyl)-5-fluorofuran (7m)



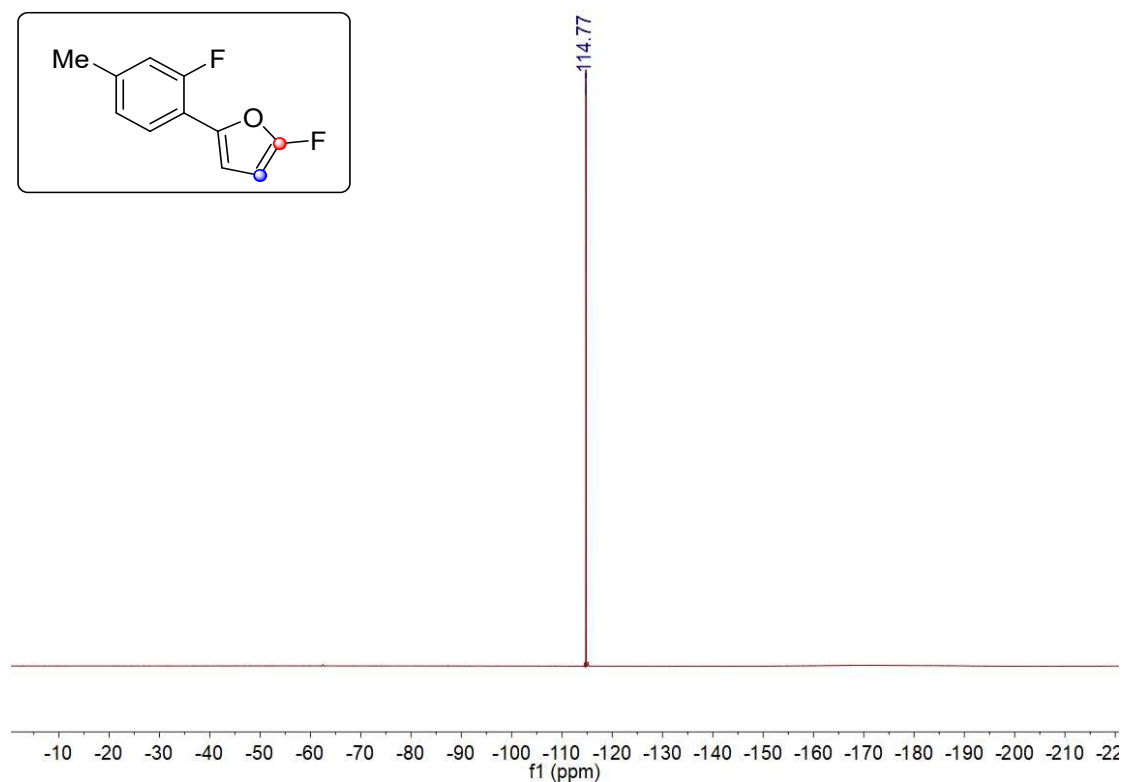
^1H spectrum (CDCl_3) 2-fluoro-5-(2-fluoro-4-methylphenyl)furan (7n)



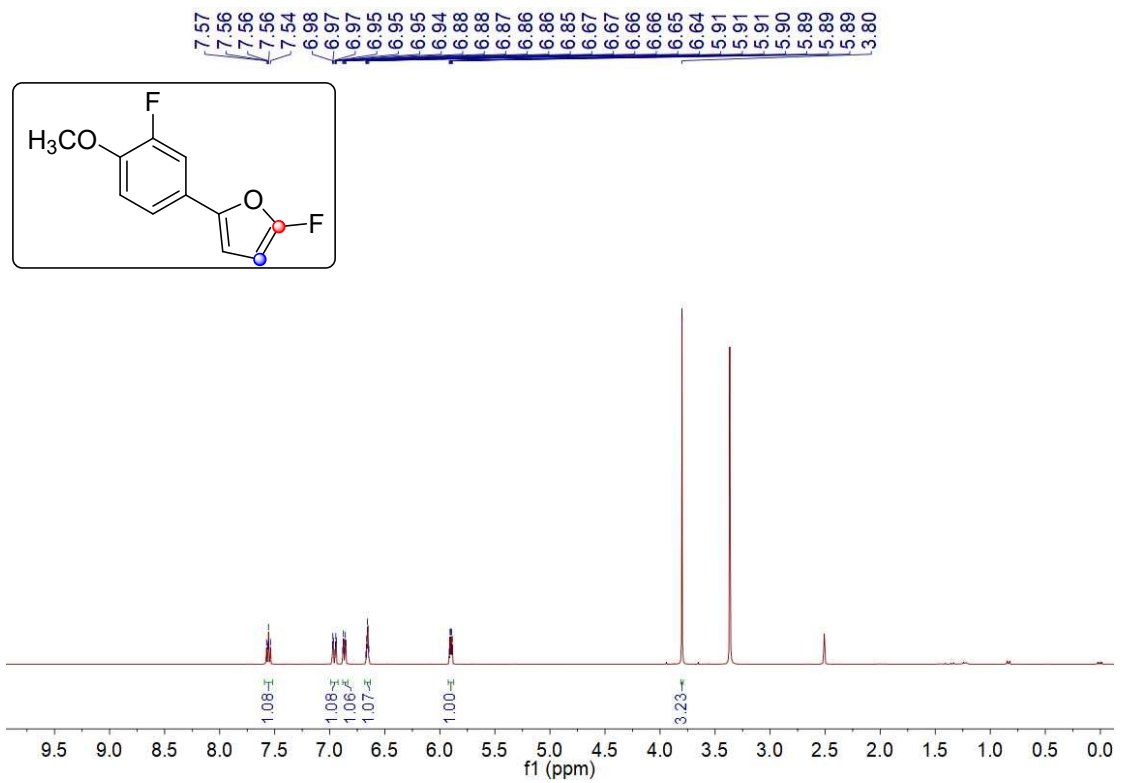
^{13}C spectrum (CDCl_3) 2-fluoro-5-(2-fluoro-4-methylphenyl)furan (7n)



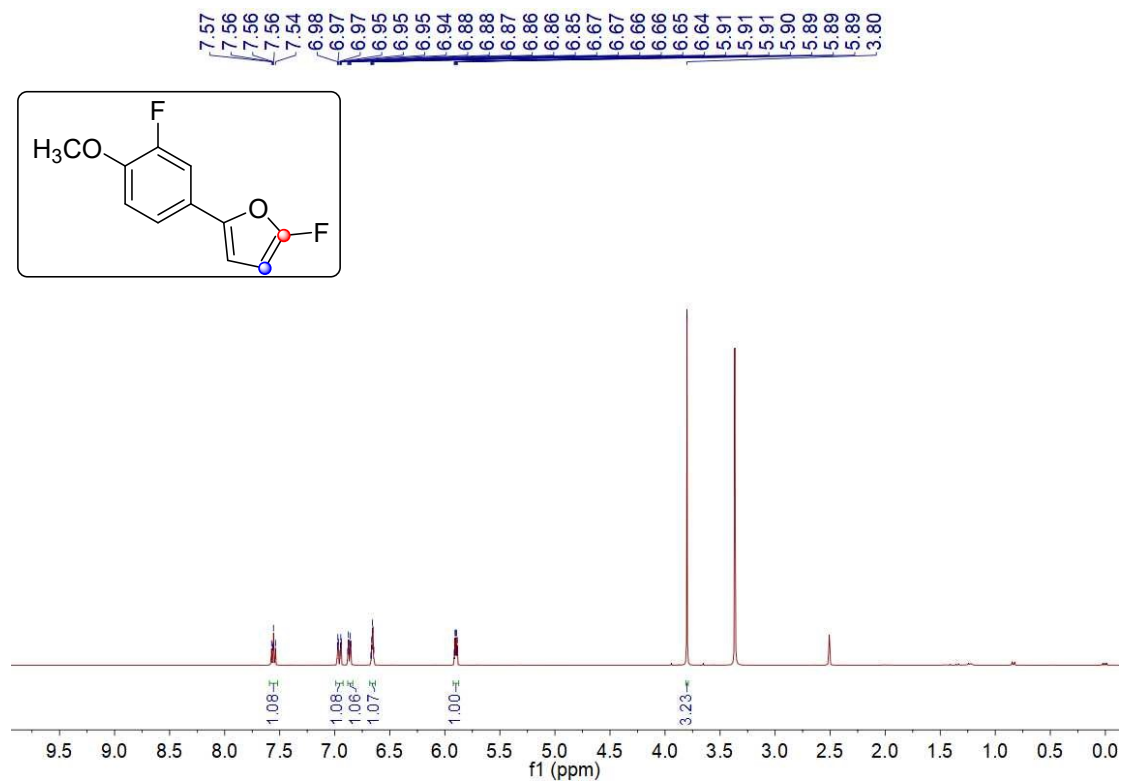
¹⁹F spectrum (CDCl₃) 2-fluoro-5-(2-fluoro-4-methylphenyl)furan (7n)



¹H spectrum (DMSO-*d*₆) 2-fluoro-5-(3-fluoro-4-methoxyphenyl)furan (7o)



¹³C spectrum (DMSO-*d*₆) 2-fluoro-5-(3-fluoro-4-methoxyphenyl)furan (7o)



¹⁹F spectrum (DMSO-*d*₆) 2-fluoro-5-(3-fluoro-4-methoxyphenyl)furan (7o)

