

## Supporting Information

### Copper-catalyzed [3+1] cyclization of cyclopropenes/diazo compounds and bromodifluoroacetamides: facile synthesis of $\alpha,\alpha$ -difluoro- $\beta$ -lactam derivatives

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### Table of Contents

1. General information.....	2
2. Experimental procedures.....	3
2.1 Preparation of substrates.....	3
2.2 Reaction optimization.....	9
2.3 General procedure.....	12
2.4 Crystal data for compound 4j.....	13
3. Possible mechanism of the formation of 10.....	14
4. Compound characterization.....	15
5. References.....	49
6. NMR spectra .....	50

## 1. General information.

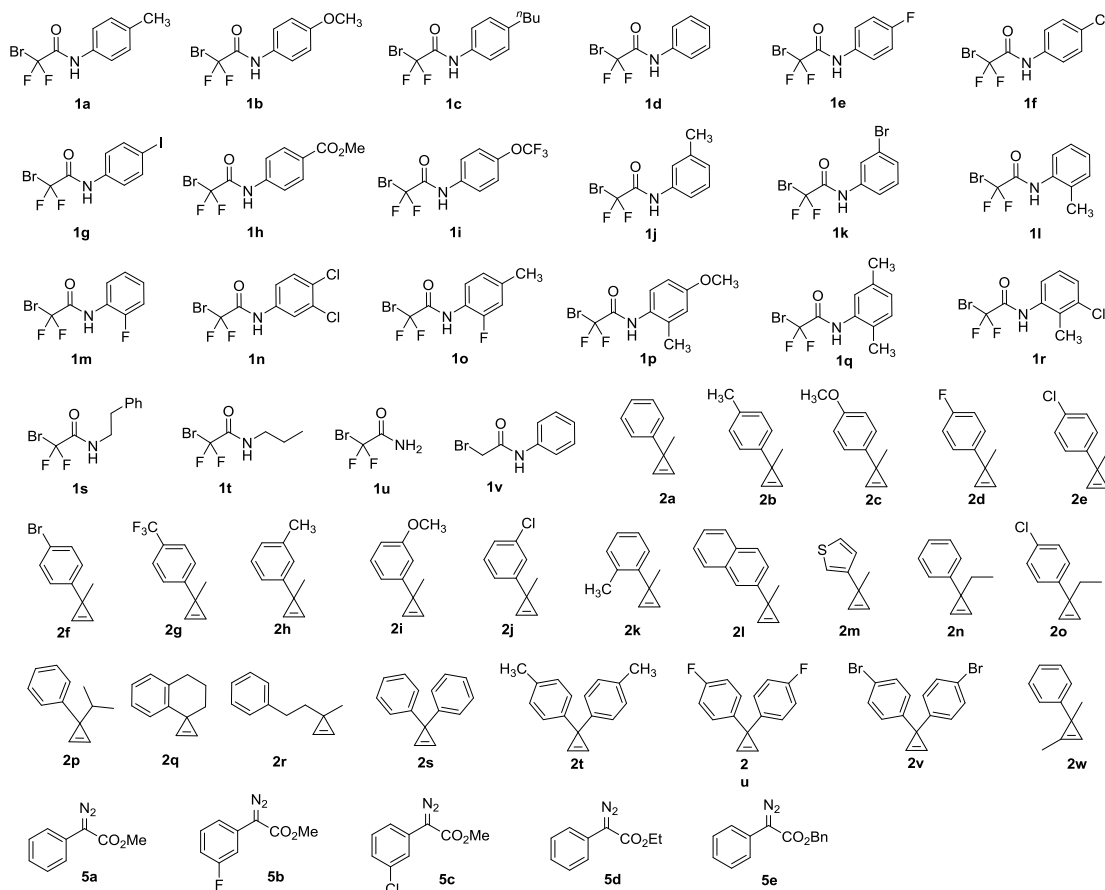
Unless otherwise noted, all reactions were conducted with distilled and dried solvents under a nitrogen atmosphere. All commercial chemicals were used without further purification. Toluene was distilled from sodium with benzophenone as indicator. All Cu(I)/Cu(II) salts, ligands, K<sub>2</sub>CO<sub>3</sub> were purchased from commercial sources. 2-Bromo-2,2-difluoro-*N*-aryl/alkylacetamides were synthesized from ethyl 2-bromo-2,2-difluoroacetate and corresponding amines which were obtained from commercial sources according to literature.<sup>1,2</sup> Cyclopropenes can be facilely synthesized from a three-step or four-step sequence from the corresponding alkenes or ketones according to literature.<sup>3,4</sup> Diazonium substrates were synthesized according to literature.<sup>5</sup>

<sup>1</sup>H NMR, <sup>13</sup>C NMR and <sup>19</sup>F NMR spectra were recorded on a Bruker 400 or Bruker 500 or Bruker 600 MHz spectrometer at 25 °C. Chemical shifts ( $\delta$ ) are expressed in parts per million (ppm) relative to internal standards (0 ppm (TMS) for <sup>1</sup>H NMR and 77.0 ppm (CDCl<sub>3</sub>) for <sup>13</sup>C NMR). The following abbreviations (or combinations thereof) were used to explain multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, p = pentet, dq = doublet of quartets, ddd = triplet of doublets, td = triplet of doublets, tt = triplet of triplets, m = multiplet, br = broad singlet. Coupling constants, *J*, were reported in Hertz unit (Hz). Copies of NMR were processed with MestReNova Software. IR spectra were recorded with a Thermo Scientific Fisher Nicolet 6700 FTIR spectrometer. Frequencies are given in wave numbers (cm<sup>-1</sup>) and only selected absorbance was reported. High resolution mass spectra were recorded on Bruker microTOF spectrometer. Single crystal X-ray crystallography data were collected from Bruker D8 Venture Single Crystal XRD, processed and refined with Olex2 software and SHELXL package. Flash chromatography was performed on silica gel 60 (particle size 300 - 400 mesh ASTM, purchased from Taizhou, China).

## 2. Experimental procedures

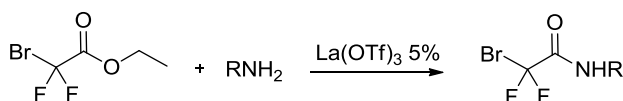
### 2.1 Preparation of substrates

#### - List of substrates



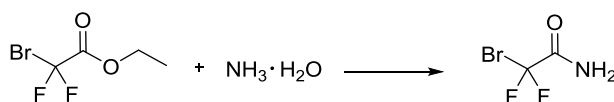
Scheme S1

All the 2-bromo-2,2-difluoro-*N*-aryl/alkylacetamides **1a** – **1t** were synthesized according to literature.<sup>1</sup> In a nitrogen-filled glovebox, corresponding amines (5.0 mmol), ethyl bromodifluoroacetate (785.1  $\mu$ L, 6.0 mmol), and La(OTf)<sub>3</sub> (146.5 mg, 0.25 mmol) were combined in screw-cap test tube. The reaction mixture was stirred at 50 °C and monitored by TLC. After the amine was exhausted, the mixture was purified by silica gel column chromatography to give the corresponding products **1**.



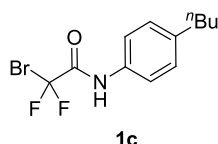
Scheme S2

The 2-bromo-2,2-difluoroacetamide **1u** were synthesized according to literature.<sup>2</sup>



Scheme S3

#### - Full characterization of new substrates



**2-Bromo-*N*-(4-butylphenyl)-2,2-difluoroacetamide (1c):** following the general procedure, the reaction of 4-butylaniline (790.0  $\mu$ L, 5.0 mmol) at 50 °C afforded **1c** (0.98 g, 64% yield) as a white solid, m.p = 92 – 94 °C.

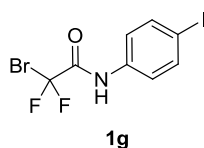
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>)  $\delta$  7.77 (s, 1H), 7.46 (d,  $J$  = 8.4 Hz, 2H), 7.20 (d,  $J$  = 8.4 Hz, 2H), 2.60 (t,  $J$  = 7.8 Hz, 2H), 1.63 – 1.55 (m, 2H), 1.34 (h,  $J$  = 7.2 Hz, 2H), 0.92 (t,  $J$  = 7.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>)  $\delta$  157.29 (t,  $J$  = 27.3 Hz), 141.17, 132.80, 129.23, 120.36, 111.58 (t,  $J$  = 314.7 Hz), 35.07, 33.51, 22.23, 13.89.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>)  $\delta$  -60.44 (s, 2F).

**IR (cm<sup>-1</sup>)** 3295, 3210, 3143, 3094, 2951, 2927, 2869, 2856, 1720, 1699, 1614, 1554, 1515, 1459, 1418, 1331, 1230, 1187, 1158, 1136, 1120, 955, 866, 839, 825, 716, 693, 597, 566, 524.

**HRMS (ESI-TOF) ( $m/z$ ):** Calcd for C<sub>12</sub>H<sub>14</sub>BrF<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 328.0119; found 328.0109.



**2-Bromo-2,2-difluoro-*N*-(4-iodophenyl)acetamide (1g):** following the general procedure, the reaction of 4-iodoaniline (1.10 g, 5.0 mmol) at 50 °C afforded **1g** (1.32 g, 70% yield) as a yellow solid, m.p = 158 – 160 °C.

**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>)  $\delta$  7.82 (s, 1H), 7.71 (d,  $J$  = 9.0 Hz, 2H), 7.35 (d,  $J$  = 8.5 Hz, 2H).

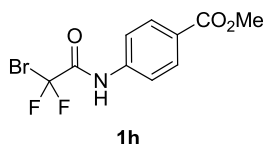
**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>)  $\delta$  157.39 (t,  $J$  = 27.6 Hz), 138.38, 135.03, 122.13, 122.28 (t,  $J$  = 315.0 Hz).



$^{19}\text{F}$  NMR (564 MHz,  $\text{CDCl}_3$ )  $\delta$  -60.71 (s, 2F).

IR ( $\text{cm}^{-1}$ ) 3286, 3197, 3129, 3082, 1717, 1699, 1608, 1547, 1485, 1398, 1327, 1233, 1152, 1132, 1114, 1062, 958, 863, 817, 702, 595, 500.

HRMS (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_8\text{H}_5\text{BrF}_2\text{INNNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 397.8460; found 397.8423.



**Methyl 4-(2-bromo-2,2-difluoroacetamido)benzoate (1h):** following the general procedure, the reaction of Methyl 4-aminobenzoate (0.76 g, 5.0 mmol) at 80 °C afforded **1h** (0.38 g, 25% yield) as a white solid, m.p = 99 – 101 °C.

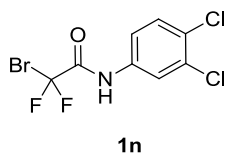
$^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$  8.11 – 8.04 (m, 3H), 7.68 (d,  $J$  = 8.5 Hz, 2H), 3.93 (s, 3H).

$^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta$  166.18, 157.49 (t,  $J$  = 27.9 Hz), 139.33, 131.02, 127.65, 119.72, 111.14 (t,  $J$  = 314.7 Hz), 52.24.

$^{19}\text{F}$  NMR (564 MHz,  $\text{CDCl}_3$ )  $\delta$  -60.81 (s, 2F).

IR ( $\text{cm}^{-1}$ ) 3317, 1719, 1702, 1607, 1538, 1435, 1409, 1323, 1280, 1172, 1130, 1108, 1016, 971, 881, 851, 837, 800, 764, 692, 599, 508, 491.

HRMS (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{10}\text{H}_9\text{BrF}_2\text{NO}_3$  ( $[\text{M}+\text{H}]^+$ ), 307.9728; found 307.9724.



**2-Bromo-N-(3,4-dichlorophenyl)-2,2-difluoroacetamide (1n):** following the general procedure, the reaction of 3,4-dichloroaniline (0.81 g, 5.0 mmol) at 50 °C afforded **1n** (1.27 g, 80% yield) as a white solid, m.p = 125 – 128 °C.

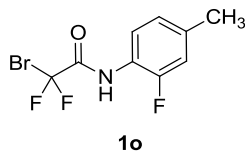
$^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.98 (s, 1H), 7.80 (d,  $J$  = 2.4 Hz, 1H), 7.48 – 7.44 (m, 1H), 7.44 – 7.40 (m, 1H).

$^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta$  157.56 (t,  $J$  = 27.5 Hz), 134.62, 133.38, 130.92, 129.91, 122.27, 119.67, 111.03 (t,  $J$  = 314.7 Hz).

$^{19}\text{F}$  NMR (564 MHz,  $\text{CDCl}_3$ )  $\delta$  -60.89 (s, 2F).

**IR** ( $\text{cm}^{-1}$ ) 3299, 3196, 3130, 1702, 1608, 1542, 1477, 1397, 1319, 1256, 1226, 1154, 1124, 1030, 965, 870, 851, 837, 818, 703, 679, 572, 456, 442.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_8\text{H}_5\text{BrCl}_2\text{F}_2\text{NO}$  ( $[\text{M} + \text{H}]^+$ ), 317.8894; found 317.8890.



**2-Bromo-2,2-difluoro-N-(2-fluoro-4-methylphenyl)acetamide (1o)**: following the general procedure, the reaction of 2-fluoro-4-methylaniline ( $565.0 \mu\text{L}$ ,  $5.0 \text{ mmol}$ ) at  $50 \text{ }^\circ\text{C}$  afforded **1o** ( $1.05 \text{ g}$ ,  $75\%$  yield) as a white solid,  $\text{m.p} = 67 - 68 \text{ }^\circ\text{C}$ .

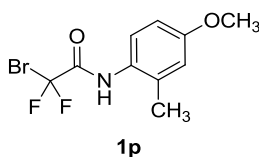
**$^1\text{H}$  NMR** ( $600 \text{ MHz}$ ,  $\text{CDCl}_3$ )  $\delta$  8.07 (t,  $J = 7.8 \text{ Hz}$ , 1H), 7.98 (s, 1H), 7.03 – 6.94 (m, 2H), 2.35 (s, 3H).

**$^{13}\text{C}$  NMR** ( $150 \text{ MHz}$ ,  $\text{CDCl}_3$ )  $\delta$  157.21 (t,  $J = 27.6 \text{ Hz}$ ), 152.81 (d,  $J = 243.6 \text{ Hz}$ ), 137.32 (d,  $J = 7.4 \text{ Hz}$ ), 125.34 (d,  $J = 3.2 \text{ Hz}$ ), 121.70, 121.08 (d,  $J = 10.7 \text{ Hz}$ ), 115.77 (d,  $J = 18.3 \text{ Hz}$ ), 111.26 (t,  $J = 314.7 \text{ Hz}$ ), 21.00.

**$^{19}\text{F}$  NMR** ( $564 \text{ MHz}$ ,  $\text{CDCl}_3$ )  $\delta$  -60.55, [(-130.61) ~ (-130.67), m].

**IR** ( $\text{cm}^{-1}$ ) 3280, 3196, 3130, 1705, 1599, 1537, 1508, 1423, 1322, 1287, 1272, 1261, 1213, 1131, 1110, 967, 938, 876, 861, 818, 794, 702, 667, 604, 580, 463, 449.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_9\text{H}_7\text{BrF}_3\text{NNaO}$  ( $[\text{M} + \text{Na}]^+$ ), 303.9555; found 303.9547.



**2-Bromo-2,2-difluoro-N-(4-methoxy-2-methylphenyl)acetamide (1p)**: following the general procedure, the reaction of 2-methyl-4-methoxyaniline ( $0.69 \text{ g}$ ,  $5.0 \text{ mmol}$ ) at  $70 \text{ }^\circ\text{C}$  afforded **1p** ( $0.88 \text{ g}$ ,  $60\%$  yield) as a white solid,  $\text{m.p} = 75 - 76 \text{ }^\circ\text{C}$ .

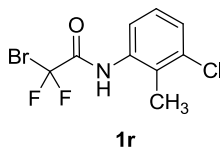
**$^1\text{H}$  NMR** ( $600 \text{ MHz}$ ,  $\text{CDCl}_3$ )  $\delta$  7.63 (s, 1H), 7.54 – 7.41 (m, 1H), 6.80 – 6.75 (m, 2H), 3.79 (s, 3H), 2.25 (s, 3H).

**$^{13}\text{C}$  NMR** ( $150 \text{ MHz}$ ,  $\text{CDCl}_3$ )  $\delta$  158.41, 158.06 (t,  $J = 26.9 \text{ Hz}$ ), 133.19, 125.54, 116.26, 111.88, 111.74 (t,  $J = 314.7 \text{ Hz}$ ), 55.40, 17.68.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -60.26 (s, 2F).

**IR** (cm<sup>-1</sup>) 3275, 3061, 2957, 2935, 2837, 1699, 1619, 1537, 1497, 1453, 1421, 1288, 1260, 1217, 1145, 1108, 1049, 966, 923, 880, 866, 813, 799, 727, 708, 680, 610, 585, 568, 461.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>10</sub>H<sub>10</sub>BrF<sub>2</sub>NNaO<sub>2</sub> ([M+Na]<sup>+</sup>), 315.9755; found 315.9750.



**2-Bromo-N-(3-chloro-2-methylphenyl)-2,2-difluoroacetamide (1r)**: following the general procedure, the reaction of 3-chloro-2-methyl aniline (597.0 μL, 5.0 mmol) at 70 °C afforded **1r** (1.13 g, 76% yield) as a white solid, m.p = 103 – 105 °C.

**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.78 (s, 1H), 7.56 (d, *J* = 7.8, 1H), 7.32 (d, *J* = 8.4, 1H), 7.19 (t, *J* = 7.8 Hz, 1H), 2.33 (s, 3H).

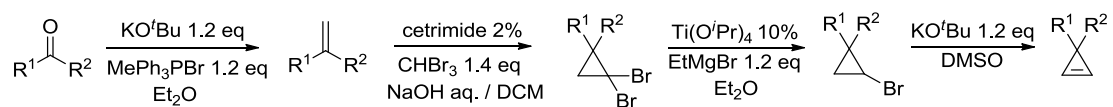
**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.98 (t, *J* = 27.6 Hz), 135.38, 133.92, 129.68, 128.19, 127.26, 122.60, 111.48 (t, *J* = 314.6 Hz), 14.44.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -60.56 (s, 2F).

**IR** (cm<sup>-1</sup>) 3287, 3055, 2926, 1706, 1579, 1532, 1465, 1412, 1278, 1248, 1138, 1080, 1015, 967, 955, 902, 884, 838, 775, 720, 686, 637, 600, 561, 493, 474.

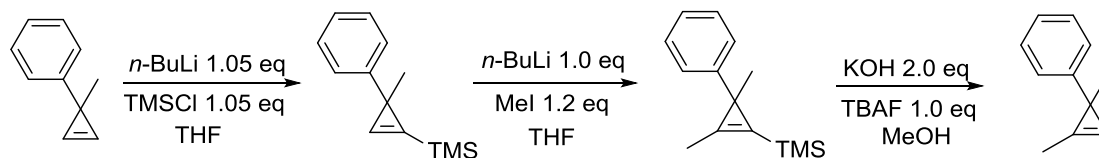
**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>9</sub>H<sub>7</sub>BrClF<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 319.9260; found 319.9286.

All the cyclopropenes **2a** – **2u** were synthesized according to literature.<sup>3</sup>



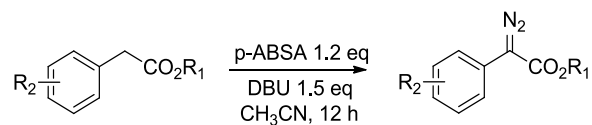
Scheme S4

The cyclopropenes **2w** were synthesized according to literature.<sup>4</sup>



Scheme S5

All the diazonium substrates **5a** – **5e** were synthesized according to literature.<sup>5</sup>

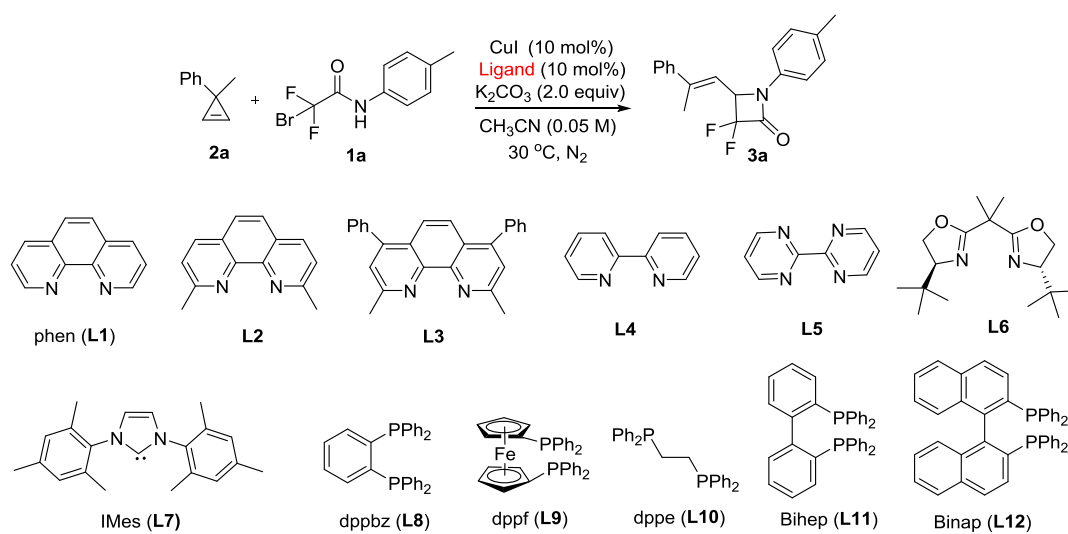


Scheme S6

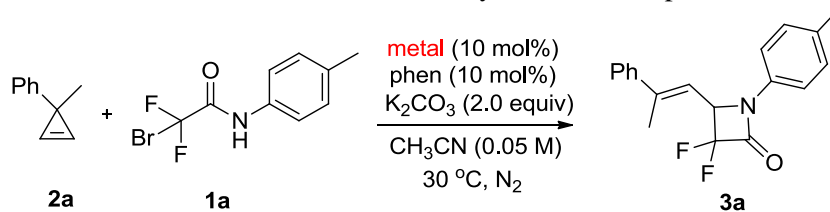
## 2.2 Reaction optimization

### 2.2.1 Reaction optimization of compound 3a.

**Table S1.** The effect of **ligands** on the reaction for the synthesis of compound **3a**.



Entry	Ligand	Yield (%)
1	--	51
2	PPh <sub>3</sub>	trace
3	<b>L1</b>	60
4	<b>L2</b>	59
5	<b>L3</b>	60
6	<b>L4</b>	45
7	<b>L5</b>	40
8	<b>L6</b>	15
9	<b>L7</b>	trace
10	<b>L8</b>	trace
11	<b>L9</b>	trace
12	<b>L10</b>	trace
13	<b>L11</b>	trace
14	<b>L12</b>	trace

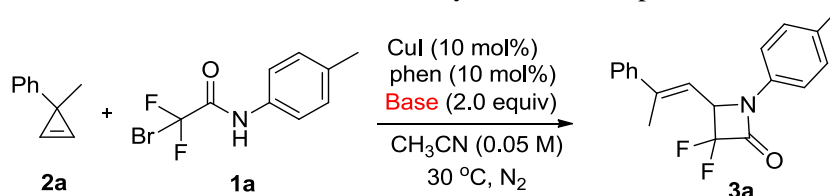
**Table S2.** The effect of **metals** on reaction for the synthesis of compound **3a**.

Entry	Metal	Yield (%)
1 <sup>[a]</sup>	$NiCl_2$	trace
2 <sup>[b]</sup>	$Pd(CH_3CN)_4Cl_2$	trace
3 <sup>[c]</sup>	$Rh_2(OAc)_4$	N.R.
4 <sup>[d]</sup>	$AgOTf$	<20
5 <sup>[e]</sup>	$Pd(PPh_3)_4$	18
6	$CuCl$	3
7	$CuBr$	35
8	$CuI$	60
9	$CuCN$	7
10	$CuBr \cdot SMe_2$	N.R.
11	$CuOAc$	14
12	$Cu(CH_3CN)_4PF_6$	N.R.
13	$CuTc$	N.R.
14	$Cu(OTf)_2$	6

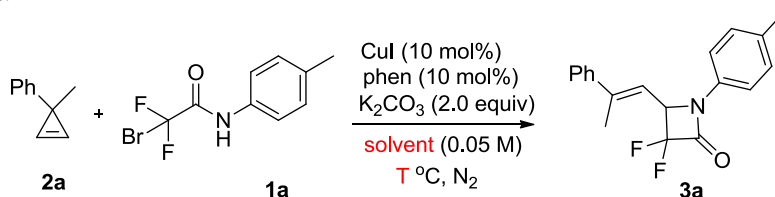
[a]  $NiCl_2$  5 mol%, phen 6 mol%. [b]  $Pd(CH_3CN)_4Cl_2$  5mol%, phen 6 mol%.

[c]  $Rh_2(OAc)_4$  2 mol%, phen 3 mol%. [d]  $AgOTf$  5 mol%, phen 6 mol%.

[e]  $Pd(PPh_3)_4$  5 mol%, xantphos 10 mol%.

**Table S3.** The effect of **base** on reaction for the synthesis of compound **3a**.

Entry	Base	Yield (%)
1	KO <sup>t</sup> Bu	N.R.
2	NaO <sup>t</sup> Bu	N.R.
3	Ag <sub>2</sub> CO <sub>3</sub>	trace
4	Cs <sub>2</sub> CO <sub>3</sub>	trace
5	KF	trace
6	Et <sub>3</sub> N	N.R.
7	DBU	N.R.
8	K <sub>2</sub> CO <sub>3</sub>	60

**Table S4.** The effect of **solvent** and **temperature (T °C)** on reaction for the synthesis of compound **3a**.

Entry	Solvent	T (°C)	Yield (%)
1	Tol	30	32
2	THF	30	28
3	dioxane	30	<20
4	Et <sub>2</sub> O	30	<20
5	DCE	30	<20
6	DMF	30	N.R.
7	DMSO	30	N.R.
8	CH <sub>3</sub> CN	40	65
9 <sup>[a]</sup>	CH <sub>3</sub> CN	40	86

[a] The solution of **2a** in 2 mL CH<sub>3</sub>CN was added via syringe for 30 minutes.

## 2.3 General procedure

### 2.3.1 General procedure for compounds **3** and **4**.

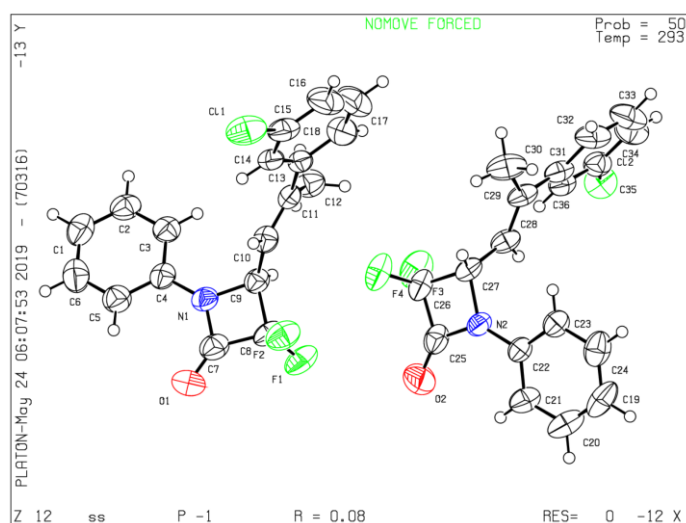
In a N<sub>2</sub> filled glove box, a flame-dried vial was charged with CuI (3.8 mg, 0.02 mmol, 0.10 equiv), phenanthroline (3.6 mg, 0.02 mmol, 0.10 equiv) and dry CH<sub>3</sub>CN (2 mL). The mixture was stirred at room temperature (*ca.* 1 min), before K<sub>2</sub>CO<sub>3</sub> (55.3 mg, 0.4 mmol, 2.0 equiv) and 2-bromo-2,2-difluoro-*N*-aryl/alkylacetamide (0.2 mmol, 1.0 equiv) were sequentially added. The mixture was stirred at the indicated temperatures, cyclopropene (0.3 mmol, 1.5 equiv) in 2 mL CH<sub>3</sub>CN was added via syringe for 30 minutes and then they react for 24 hours. The solvent was removed *in vacuo*, and the residue was purified by column chromatography (eluted by petroleum ether: ethyl acetate = 250: 4) to afford the corresponding annular lactam.

### 2.3.2 General procedure for gram scale reaction to synthesize compound **3d**.

In a N<sub>2</sub> filled glove box, a 100 mL round-bottom flask was charged with CuI (68.6 mg, 0.36 mmol, 0.06 equiv), phenanthroline (64.9 mg, 0.36 mmol, 0.06 equiv) and dry CH<sub>3</sub>CN (60 mL). The mixture was stirred at room temperature (*ca.* 5 min), before K<sub>2</sub>CO<sub>3</sub> (1.38 g, 12.0 mmol, 2.0 equiv) and 2-bromo-2,2-difluoro-*N*-phenylacetamide **1d** (1.50 g, 6.0 mmol, 1.0 equiv) were sequentially added. The mixture was stirred at 40 °C, (1-methylcycloprop-2-en-1-yl)benzene **2a** (1.17 g, 9.0 mmol, 1.5 equiv) in 20 mL CH<sub>3</sub>CN was added via syringe for 3 hours and then they react for 24 hours. The solvent was removed *in vacuo*, and the residue was purified by column chromatography (eluted by petroleum ether: ethyl acetate = 250: 4) to afford the compound **3d**, 1.50 g, 83% yield.



## 2.4 Crystal data for compound 4j (CCDC# 1971135).



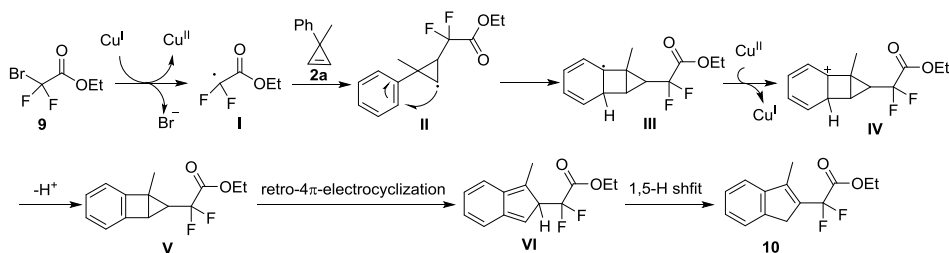
**Figure S1.** ORTEP representation of compound **4j**

Bond precision:	C-C = 0.0075 Å	Wavelength=1.54178	
Cell:	a=10.946 (7)	b=11.711 (6)	c=14.387 (8)
	alpha=70.47 (3)	beta=79.17 (4)	gamma=66.85 (3)
Temperature:	293 K		
	Calculated	Reported	
Volume	1594.8 (16)	1594.9 (16)	
Space group	P -1	P -1	
Hall group	-P 1	-P 1	
Moiety formula	C18 H14 Cl F2 N O	C18 H14 Cl F2 N O	
Sum formula	C18 H14 Cl F2 N O	C18 H14 Cl F2 N O	
Mr	333.75	333.75	
Dx, g cm <sup>-3</sup>	1.390	1.390	
Z	4	4	
Mu (mm <sup>-1</sup> )	2.343	2.343	
F000	688.0	688.0	
F000'	691.59		
h, k, lmax	13, 14, 17	13, 13, 17	
Nref	6075	5599	
Tmin, Tmax			
Tmin'			
Correction method=	Not given		
Data completeness=	0.922	Theta (max)= 70.273	
R(reflections)=	0.0826 ( 3203)	wR2(reflections)= 0.2199 ( 5599)	
S =	1.021	Npar= 417	

**Figure S2.** Crystal structure parameters

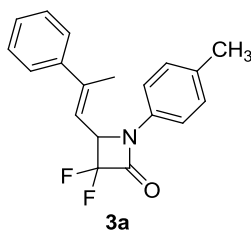
### 3. Possible mechanism of the formation of **10**.

Based on the literature,<sup>6-9</sup> **10** might be formed via a radical pathway (Scheme S7). Firstly, *gem*-difluoro carbon centered radical **I** was generated in the presence of copper catalysis.<sup>6</sup> Subsequently, the radical addition of **I** to **2a** afforded the cyclopropyl radical **II**,<sup>7</sup> which underwent intramolecular cyclization to produce radical species **III**. The oxidation of **III** by Cu(II) species followed by deprotonation gave intermediate **V**, which underwent retro-4 $\pi$ -electrocyclization<sup>8</sup> and following 1,5-H shift,<sup>9</sup> finally affording **10**.



Scheme S7 the possible mechanism of **10** formation.

#### 4. Compound characterization



**(E)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)-1-(p-tolyl)azetidin-2-one (3a):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*p*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (31.5 mg, 0.24 mmol, 1.2 equiv) at 40 °C afforded **3a** (53.9 mg, 86% yield) as a yellow oil.

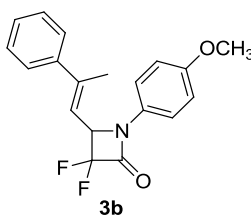
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.44 – 7.37 (m, 2H), 7.37 – 7.29 (m, 5H), 7.16 (d, *J* = 8.4 Hz, 2H), 5.73 (dq, *J* = 9.0, 1.2, 1H), 5.26 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.35 – 2.28 (m, 6H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.25 (t, *J* = 31.2 Hz), 144.94, 141.38, 135.64, 133.56 (t, *J* = 3.6 Hz), 129.93, 128.48, 128.36, 125.90, 119.98 (t, *J* = 285.2 Hz), 117.71, 117.41, 64.42 (dd, *J* = 26.9 Hz, 24.5 Hz), 20.99, 16.89.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.24 (dd, *J* = 228.9 Hz, 7.1 Hz, 1F), -120.04 (d, *J* = 228.9 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3033, 2957, 2924, 2867, 1778, 1646, 1516, 1446, 1390, 1324, 1308, 1213, 1151, 1085, 1002, 864, 840, 813, 764, 732, 700, 561, 518, 506.

**HRMS (ESI-TOF) (*m/z*):** Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO ([*M*+Na]<sup>+</sup>), 336.1170; found 336.1167.



**(E)-3,3-difluoro-1-(4-methoxyphenyl)-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3b):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(4-methoxyphenyl)acetamide (55.8 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (52.0 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **3b** (42.2 mg, 64% yield) as a light yellow oil.

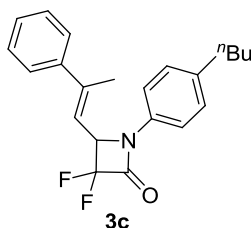
**<sup>1</sup>H NMR** (400 MHz, CDCl<sub>3</sub>) δ 7.45 – 7.37 (m, 4H), 7.37 – 7.28 (m, 3H), 6.92 – 6.86 (m, 2H), 5.73 (dq, *J* = 8.8, 1.2 Hz, 1H), 5.25 (ddd, *J* = 8.8, 7.2, 1.6 Hz, 1H), 3.79 (s, 3H), 2.31 (d, *J* = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.39, 157.00 (t, *J* = 30.2 Hz), 145.02, 141.38, 129.45 (t, *J* = 2.9 Hz), 128.50, 128.39, 125.91, 120.09 (t, *J* = 285.8 Hz), 119.25, 117.44, 114.64, 64.58 (t, *J* = 26.1 Hz), 55.49, 16.90.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.19 (dd, *J* = 228.4 Hz, 7.1 Hz, 1F), -120.03 (d, *J* = 228.4 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3083, 3058, 3002, 2958, 2936, 2837, 1779, 1646, 1611, 1587, 1514, 1444, 1394, 1322, 1297, 1250, 1214, 1179, 1149, 1111, 1084, 1032, 1012, 828, 764, 731, 700, 634, 621, 532, 468.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO<sub>2</sub> ([M+Na]<sup>+</sup>), 352.1120; found 352.1120.



**(E)-4-(2-(4-butylphenyl)prop-1-en-1-yl)-3,3-difluoro-1-phenylazetidin-2-one (3c):**

following the general procedure, the reaction of 2-bromo-*N*-(4-butylphenyl)-2,2-difluoroacetamide (61.0 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (52.5 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **3c** (56.1 mg, 79% yield) as a light yellow oil.

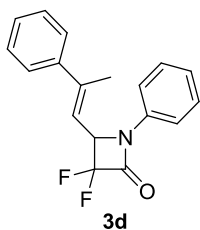
**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.48 – 7.39 (m, 2H), 7.38 – 7.28 (m, 5H), 7.17 (d, *J* = 8.0 Hz, 2H), 5.82 – 5.66 (m, 1H), 5.29 – 5.21 (m, 1H), 2.58 (t, *J* = 8.0 Hz, 2H), 2.31 (s, 3H), 1.58 – 1.50 (m, 2H), 1.33 (H, *J* = 7.0 Hz, 2H), 0.91 (t, *J* = 7.5 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.27 (t, *J* = 31.5 Hz), 144.90, 141.41, 140.73, 133.76 (t, *J* = 3.3 Hz), 129.32, 128.48, 128.36, 125.91, 120.00 (t, *J* = 285.2 Hz), 117.72, 117.49, 64.45 (dd, *J* = 26.7 Hz, 24.6 Hz), 35.12, 33.50, 22.20, 16.88, 13.86.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.30 (dd, *J* = 228.9 Hz, 7.1 Hz, 1F), -120.02 (d, *J* = 228.9 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3035, 2957, 2930, 2859, 1778, 1646, 1578, 1495, 1446, 1390, 1323, 1215, 1151, 1120, 1088, 852, 836, 764, 732, 698, 558, 515.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $C_{22}H_{23}F_2NNaO$  ( $[M+Na]^+$ ), 378.1640; found 378.1625.



**(E)-3,3-difluoro-1-phenyl-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3d)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (31.5 mg, 0.24 mmol, 1.2 equiv) at 40 °C afforded **3d** (55.7 mg, 93% yield) as a light yellow solid, m.p = 112 – 114 °C.

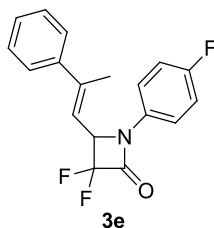
**<sup>1</sup>H NMR** (400 MHz, CDCl<sub>3</sub>) δ 7.49 – 7.43 (m, 2H), 7.43 – 7.40 (m, 2H), 7.40 – 7.28 (m, 5H), 7.23 – 7.16 (m, 1H), 5.74 (dq,  $J$  = 8.8, 1.2 Hz, 1H), 5.29 (ddd,  $J$  = 8.8, 7.2, 1.6 Hz, 1H), 2.32 (d,  $J$  = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.49 (t,  $J$  = 31.5 Hz), 145.08, 141.35, 136.04 (t,  $J$  = 3.5 Hz), 129.46, 128.50, 128.41, 125.91, 125.76, 119.95 (t,  $J$  = 285.5 Hz), 117.77, 117.28, 64.48 (t,  $J$  = 26.3 Hz), 16.92.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -113.27 (dd,  $J$  = 229.8 Hz, 6.8 Hz, 1F), -120.00 (d,  $J$  = 229.8 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3083, 3057, 3023, 2999, 2927, 1771, 1698, 1652, 1599, 1449, 1461, 1446, 1398, 1333, 1319, 1216, 1157, 1108, 1094, 1028, 1005, 993, 862, 830, 757, 731, 701, 688, 531, 507.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $C_{18}H_{15}F_2NNaO$  ( $[M+Na]^+$ ), 322.1014; found 322.1022.



**(E)-3,3-difluoro-1-(4-fluorophenyl)-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3e)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(4-fluorophenyl)acetamide (53.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (31.5 mg, 0.24 mmol, 1.2 equiv) at 40 °C afforded **3e** (40.6 mg, 64% yield) as a yellow solid, m.p = 100 – 102 °C.

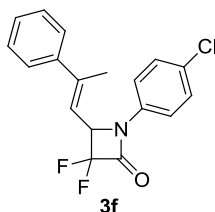
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.46 – 7.38 (m, 4H), 7.39 – 7.30 (m, 3H), 7.07 (t, *J* = 8.4 Hz, 2H), 5.76 – 5.67 (m, 1H), 5.30 – 5.24 (m, 1H), 2.32 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 160.06 (d, *J* = 244.8 Hz), 157.26 (t, *J* = 31.7 Hz), 145.51, 141.25, 132.27 (q, *J* = 3.6 Hz), 128.53 (d, *J* = 4.4 Hz), 125.90, 120.07 (t, *J* = 285.5 Hz), 119.40 (d, *J* = 8.1 Hz), 116.96, 116.95, 116.38 (d, *J* = 22.8 Hz), 64.70 (dd, *J* = 26.9 Hz, 24.5 Hz), 16.92.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.09 (dd, *J* = 229.3 Hz, 7.1 Hz, 1F), -114.94 (tt, *J* = 8.5, 4.2 Hz, 1F), -119.90 (d, *J* = 229.3 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3064, 3003, 2965, 1770, 1643, 1600, 1508, 1447, 1393, 1321, 1298, 1230, 1221, 1155, 1126, 1101, 1083, 999, 884, 847, 838, 770, 732, 708, 693, 619, 521, 507.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>14</sub>F<sub>3</sub>NNaO ([M+Na]<sup>+</sup>), 340.0920; found 340.0930.



**(*E*)-1-(4-chlorophenyl)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)azetid-2-one (3f):** following the general procedure, the reaction of 2-bromo-*N*-(4-chlorophenyl)-2,2-difluoroacetamide (56.6 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (31.5 mg, 0.24 mmol, 1.2 equiv) at 40 °C afforded **3f** (58.6 mg, 88% yield) as a yellow oil.

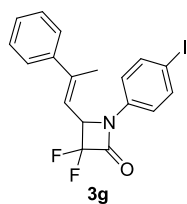
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.43 – 7.37 (m, 4H), 7.37 – 7.30 (m, 5H), 5.71 (dq, *J* = 9.0, 1.2 Hz, 1H), 5.27 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.32 (d, *J* = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.39 (t, *J* = 31.7 Hz), 145.60, 141.18, 134.52 (t, *J* = 3.9 Hz), 131.02, 129.59, 128.54, 125.88, 119.99 (t, *J* = 285.5 Hz), 118.97, 116.75, 64.63 (dd, *J* = 27.0 Hz, 24.8 Hz), 16.94.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -113.34 (dd, *J* = 229.2 Hz, 7.3 Hz, 1F). -119.93 (d, *J* = 229.3 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3077, 2993, 2951, 1774, 1646, 1599, 1505, 1493, 1459, 1389, 1334, 1318, 1307, 1215, 1150, 1092, 1013, 1002, 991, 852, 830, 771, 759, 733, 722, 690, 670, 558, 539, 490, 461.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>15</sub>ClF<sub>2</sub>NO ([M+H]<sup>+</sup>), 334.0805; found 334.0800.



**(E)-3,3-difluoro-1-(4-iodophenyl)-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3g):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(4-iodophenyl)acetamide (75.1 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (52.5 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **3g** (59.5 mg, 70% yield) as a yellow oil.

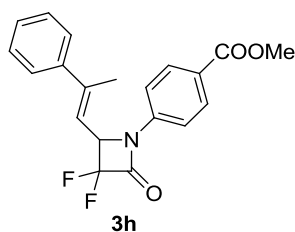
**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.71 – 7.63 (m, 2H), 7.44 – 7.38 (m, 2H), 7.38 – 7.31 (m, 3H), 7.23 – 7.17 (m, 2H), 5.70 (dq, *J* = 9.0, 1.5 Hz, 1H), 5.26 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.31 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.45 (t, *J* = 31.7 Hz), 145.59, 141.16, 138.41, 135.60 (t, *J* = 3.6 Hz), 128.52, 125.87, 119.97 (t, *J* = 285.6 Hz), 119.49, 116.67, 89.46, 64.53 (dd, *J* = 26.9 Hz, 24.6 Hz), 16.93.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -112.93 (dd, *J* = 229.9 Hz, 7.2 Hz, 1F), -119.78 (d, *J* = 229.9 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3083, 3066, 3022, 2925, 1778, 1733, 1646, 1584, 1489, 1444, 1414, 1390, 1347, 1316, 1304, 1213, 1165, 1145, 1107, 1097, 1058, 1001, 858, 830, 816, 767, 751, 731, 708, 698, 559, 506, 478.

**HRMS (ESI-TOF) (*m/z*):** Calcd for C<sub>18</sub>H<sub>14</sub>F<sub>2</sub>INO ([M+H]<sup>+</sup>), 426.0161; found 426.0155.



**(E)-methyl 4-(3,3-difluoro-2-oxo-4-(2-phenylprop-1-en-1-yl)azetidin-1-yl)benzoate (3h):**

following the general procedure, the reaction of methyl 4-(2-bromo-2,2-difluoroacetamido)benzoate (61.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (52.0 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **3h** (22.8 mg, 32% yield) as a colorless oil.

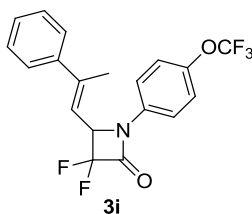
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 8.09 – 8.03 (m, 2H), 7.52 – 7.48 (m, 2H), 7.44 – 7.39 (m, 2H), 7.38 – 7.31 (m, 3H), 5.73 (dq, *J* = 9.0, 1.2 Hz, 1H), 5.33 (ddd, *J* = 9.0, 7.8, 1.8 Hz, 1H), 3.91 (s, 3H), 2.34 (d, *J* = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 166.09, 157.77 (t, *J* = 32.3 Hz), 145.76, 141.22, 139.61 (t, *J* = 3.8 Hz), 131.16, 128.57, 127.22, 125.92, 119.93 (t, *J* = 292.1 Hz), 117.37, 116.67, 64.77 (dd, *J* = 27.0 Hz, 24.7 Hz), 52.20, 17.02.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -112.91 (dd, *J* = 230.7 Hz, 7.9 Hz, 1F), -119.68 (d, *J* = 230.7 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3060, 3034, 2993, 2955, 2943, 2925, 2840, 1774, 1713, 1645, 1604, 1513, 1433, 1386, 1324, 1280, 1214, 1190, 1177, 1167, 1110, 1084, 998, 871, 854, 813, 769, 761, 751, 704, 691, 513, 492.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>20</sub>H<sub>17</sub>F<sub>2</sub>NNaO<sub>3</sub> ([M+Na]<sup>+</sup>), 380.1069; found 380.1049.



**(*E*)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)-1-(4-(trifluoromethoxy)phenyl)azetidin-2-one (3i)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(4-(trifluoromethoxy)phenyl)acetamide (66.6 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **3i** (53.6 mg, 70% yield) as a colorless oil.

**<sup>1</sup>H NMR** (400 MHz, CDCl<sub>3</sub>) δ 7.53 – 7.45 (m, 2H), 7.45 – 7.39 (m, 2H), 7.39 – 7.28 (m, 3H), 7.23 (d, *J* = 8.4 Hz, 2H), 5.73 (dd, *J* = 8.8, 1.2 Hz, 1H), 5.29 (ddd, *J* = 8.8, 7.2, 1.6 Hz, 1H), 2.33 (d, *J* = 1.6 Hz, 3H).

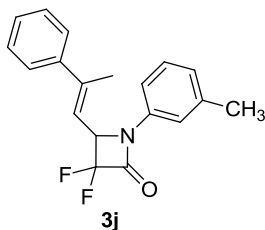
**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.45 (t, *J* = 31.7 Hz), 146.27 (d, *J* = 1.8 Hz), 145.72, 141.16, 134.63 (t, *J* = 3.9 Hz), 128.57, 128.55, 125.89, 122.27, 120.05 (2C), 118.97, 116.70, 116.69, 64.71 (dd, *J* = 27.0 Hz, 24.6 Hz), 16.91.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -58.11 (s, 1CF<sub>3</sub>), -113.00 (dd, *J* = 229.8 Hz, 7.3 Hz, 1F), -119.76 (d, *J* = 229.8 Hz, 1F).



**IR** ( $\text{cm}^{-1}$ ) 3060, 3034, 2993, 2955, 2944, 2925, 1774, 1713, 1645, 1604, 1513, 1433, 1386, 1324, 1280, 1213, 1190, 1177, 1167, 1150, 1110, 1084, 998, 871, 854, 813, 769, 761, 751, 704, 691, 513, 492.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{19}\text{H}_{14}\text{F}_5\text{NNaO}_2$  ( $[\text{M}+\text{Na}]^+$ ), 406.0837; found 406.0840.



**(E)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)-1-(m-tolyl)azetidin-2-one (3j):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*m*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (31.5 mg, 0.24 mmol, 1.2 equiv) at 40 °C afforded **3j** (37.6 mg, 60% yield) as a light yellow oil.

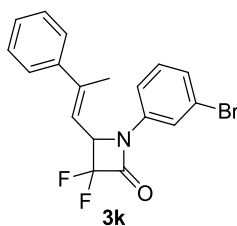
**$^1\text{H}$  NMR** (500 MHz,  $\text{CDCl}_3$ )  $\delta$  7.41 (d,  $J = 7.5$  Hz, 2H), 7.37 – 7.28 (m, 4H), 7.24 (t,  $J = 7.5$  Hz, 1H), 7.15 (d,  $J = 8.0$  Hz, 1H), 7.01 (d,  $J = 7.5$  Hz, 1H), 5.78 – 5.69 (m, 1H), 5.30 – 5.23 (m, 1H), 2.35 (s, 3H), 2.31 (s, 3H).

**$^{13}\text{C}$  NMR** (125 MHz,  $\text{CDCl}_3$ )  $\delta$  157.46 (t,  $J = 31.3$  Hz), 144.91, 141.39, 139.61, 135.94 (t,  $J = 3.6$  Hz), 129.20, 128.49, 128.37, 126.61, 125.89, 119.89 (t,  $J = 285.3$  Hz), 118.63, 117.38, 114.62, 64.44 (dd,  $J = 26.9$  Hz, 24.6 Hz), 21.48, 16.90.

**$^{19}\text{F}$  NMR** (470 MHz,  $\text{CDCl}_3$ )  $\delta$  -113.32 (dd,  $J = 228.9$  Hz, 7.3 Hz, 1F), -120.04 (d,  $J = 229.1$  Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3084, 3060, 3035, 2952, 2922, 2860, 1768, 1646, 1606, 1590, 1494, 1447, 1387, 1321, 1228, 1202, 1154, 1097, 1083, 1031, 1013, 947, 909, 892, 856, 780, 764, 732, 688, 560, 538, 520, 441.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{19}\text{H}_{17}\text{F}_2\text{NNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 336.1170; found 336.1166.



**(E)-1-(3-bromophenyl)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3k):**

following the general procedure, the reaction of 2-bromo-*N*-(3-bromophenyl)-2,2-difluoroacetamide (65.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (31.5 mg, 0.24 mmol, 1.2 equiv) at 40 °C afforded **3k** (45.2 mg, 60% yield) as a light yellow oil.

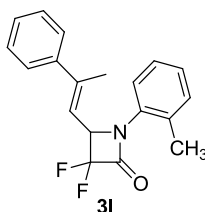
<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.58 – 7.55 (m, 1H), 7.37 – 7.30 (m, 2H), 7.31 – 7.21 (m, 5H), 7.14 (t, *J* = 7.8 Hz, 1H), 5.65 – 5.59 (m, 1H), 5.29 (ddd, *J* = 9.0, 7.8, 1.8 Hz, 1H), 2.24 (s, 3H).

<sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) δ 157.53 (t, *J* = 31.7 Hz), 145.80, 141.25, 137.06 (t, *J* = 3.5 Hz), 130.77, 128.77, 128.54, 128.52, 125.91, 123.09, 120.91, 119.91 (t, *J* = 285.6 Hz), 116.61, 116.17, 64.66 (dd, *J* = 27.0 Hz, 24.6 Hz), 16.98.

<sup>19</sup>F NMR (470 MHz, CDCl<sub>3</sub>) δ -112.98 (dd, *J* = 230.3 Hz, 7.5 Hz, 1F), -119.82 (d, *J* = 229.8 Hz, 1F).

IR (cm<sup>-1</sup>) 3116, 3080, 3059, 3037, 2982, 2950, 2923, 2857, 1781, 1644, 1593, 1573, 1483, 1433, 1385, 1318, 1306, 1214, 1148, 1108, 1012, 876, 848, 764, 729, 713, 690, 670, 559, 534.

HRMS (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>14</sub>BrF<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 400.0119; found 400.0122.



**(E)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)-1-(*o*-tolyl)azetidin-2-one (3l):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*o*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **3l** (33.8 mg, 54% yield) as a colorless oil.

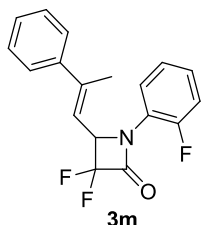
<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.37 – 7.28 (m, 5H), 7.24 – 7.18 (m, 3H), 5.70 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.33 (ddd, *J* = 9.0, 7.8, 2.4 Hz, 1H), 2.37 (s, 3H), 2.14 (s, 3H).

<sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) δ 158.33 (t, *J* = 31.2 Hz), 145.63, 141.53, 133.89, 132.58 (t, *J* = 3.6 Hz), 131.56, 128.45, 128.34, 128.26, 126.67, 125.89, 124.01, 120.29 (t, *J* = 285.8 Hz), 116.98, 66.22 (dd, *J* = 26.4 Hz, 23.7 Hz), 18.86, 16.86.

<sup>19</sup>F NMR (564 MHz, CDCl<sub>3</sub>) δ -113.14 (dd, *J* = 229.0 Hz, 7.4 Hz, 1F), -122.39 (d, *J* = 229.0 Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3059, 3032, 2981, 2959, 2927, 1786, 1646, 1494, 1464, 1447, 1379, 1323, 1216, 1146, 1108, 1080, 991, 867, 838, 757, 717, 698, 525, 446.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{19}\text{H}_{17}\text{F}_2\text{NNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 336.1170; found 336.1154.



**(E)-3,3-difluoro-1-(2-fluorophenyl)-4-(2-phenylprop-1-en-1-yl)azetidin-2-one**

**(3m)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(2-fluorophenyl)acetamide (53.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.30 mmol, 1.5 equiv) at 50 °C afforded **3m** (49.5 mg, 78% yield) as a light yellow solid, m.p = 113 – 115 °C.

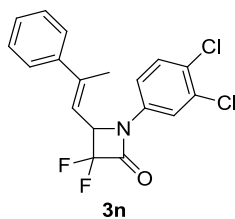
**$^1\text{H}$  NMR** (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.90 – 7.86 (m, 1H), 7.40 – 7.35 (m, 2H), 7.35 – 7.27 (m, 3H), 7.21 – 7.14 (m, 2H), 7.12 – 7.07 (m, 1H), 5.69 – 5.64 (m, 1H), 5.57 – 5.47 (m, 1H), 2.23 (s, 3H).

**$^{13}\text{C}$  NMR** (150 MHz,  $\text{CDCl}_3$ )  $\delta$  158.53 (t,  $J = 31.8$  Hz), 153.09 (d,  $J = 246.9$  Hz), 145.67, 141.68, 128.39, 128.26, 127.56 (d,  $J = 7.7$  Hz), 125.94, 124.90 (d,  $J = 5.5$  Hz), 123.70 (d,  $J = 1.5$  Hz), 120.90 (1C), 117.02, 116.69, 116.56, 64.42 (1C), 16.74 (d,  $J = 2.1$  Hz).

**$^{19}\text{F}$  NMR** (564 MHz,  $\text{CDCl}_3$ )  $\delta$  -112.60 (dd,  $J = 230.7$  Hz, 7.3 Hz, 1F), -120.39 (d,  $J = 230.7$  Hz, 1F), -124.56 (m, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3085, 3065, 3034, 2990, 2954, 2926, 2869, 1773, 1727, 1649, 1614, 1591, 1511, 1462, 1446, 1387, 1330, 1278, 1233, 1217, 1168, 1148, 1131, 1098, 1079, 1038, 994, 868, 842, 765, 754, 735, 699, 692, 655, 561, 477.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{18}\text{H}_{14}\text{F}_3\text{NNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 340.0920; found 340.0900.



**(E)-1-(3,4-dichlorophenyl)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3n)**

following the general procedure, the reaction of 2-bromo-*N*-(3,4-dichlorophenyl)-2,2-

difluoroacetamide (63.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **3n** (62.4 mg, 85% yield) as a yellow oil.

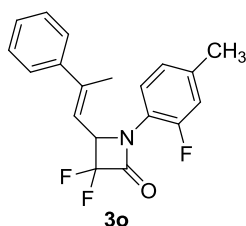
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.59 (d, *J* = 2.4 Hz, 1H), 7.44 – 7.40 (m, 3H), 7.39 – 7.31 (m, 3H), 7.27 (dd, *J* = 8.4, 2.4 Hz, 1H), 5.69 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.27 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.33 (d, *J* = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.50 (t, *J* = 31.8 Hz), 146.19, 141.14, 135.21 (t, *J* = 3.8 Hz), 133.59, 131.16, 129.40, 128.64, 128.59, 125.91, 120.01 (t, *J* = 285.9 Hz), 119.63, 116.93, 116.29, 64.81 (dd, *J* = 27.2 Hz, 24.8 Hz), 17.02.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -112.74 (dd, *J* = 230.2 Hz, 7.3 Hz, 1F), -119.62 (d, *J* = 230.2 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3104, 3081, 3022, 2954, 2925, 2858, 1782, 1646, 1590, 1567, 1480, 1450, 1390, 1351, 1310, 1220, 1169, 1142, 1113, 1098, 1029, 1013, 866, 814, 764, 731, 748, 726, 695, 673, 578, 561, 490, 470.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>14</sub>Cl<sub>2</sub>F<sub>2</sub>NO ([M+H]<sup>+</sup>), 368.0415; found 368.0406.



**(E)-3,3-difluoro-1-(2-fluoro-4-methylphenyl)-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3o):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(2-fluoro-4-methylphenyl)acetamide (56.2 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **3o** (46.3 mg, 70% yield) as a colorless oil.

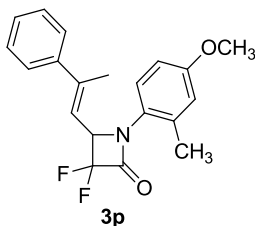
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.71 (t, *J* = 7.8 Hz, 1H), 7.39 – 7.34 (m, 2H), 7.34 – 7.26 (m, 3H), 6.97 – 6.90 (m, 2H), 5.67 – 5.63 (m, 1H), 5.55 – 5.44 (m, 1H), 2.32 (s, 3H), 2.22 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 158.40 (t, *J* = 31.7 Hz), 153.11 (d, *J* = 246.6 Hz), 145.58, 141.71, 138.51 (d, *J* = 7.2 Hz), 128.37, 128.22, 125.93, 125.45 (d, *J* = 3.0 Hz), 123.52 (d, *J* = 2.0 Hz), 120.90 (t, *J* = 285.0 Hz), 117.06, 116.93, 66.91 (1C), 20.98 (d, *J* = 1.1 Hz), 16.70 (d, *J* = 2.0 Hz).

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -112.65 (dd, *J* = 230.1 Hz, 7.3 Hz, 1F), -120.60 (d, *J* = 230.1 Hz, 1F), -125.12 (t, *J* = 10.2 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3083, 3058, 3025, 2999, 2952, 2927, 2837, 1771, 1648, 1585, 1519, 1446, 1384, 1324, 1256, 1211, 1186, 1129, 1107, 1085, 991, 943, 864, 851, 822, 815, 761, 735, 729, 697, 580, 558, 492 .

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>16</sub>F<sub>3</sub>NNaO ([M+Na]<sup>+</sup>), 354.1076; found 354.1044.



**(*E*)-3,3-difluoro-1-(4-methoxy-2-methylphenyl)-4-(2-phenylprop-1-en-1-yl)**

**azetidin-2-one (3p):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(4-methoxy-2-methylphenyl)acetamide (58.6 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **3p** (32.3 mg, 47% yield) as a colorless oil.

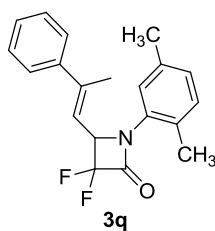
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.37 – 7.28 (m, 5H), 7.11 (d, *J* = 9.0 Hz, 1H), 6.77 (d, *J* = 3.0 Hz, 1H), 6.72 (dd, *J* = 9.0, 3.0 Hz, 1H), 5.72 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.24 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 3.78 (s, 3H), 2.33 (s, 3H), 2.10 (d, *J* = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 159.33, 158.75 (t, *J* = 30.9 Hz), 145.60, 141.58, 135.96, 128.45, 128.32, 125.95, 125.89, 120.40 (t, *J* = 285.8 Hz), 116.94, 116.93, 116.37, 112.04, 66.41 (dd, *J* = 26.3 Hz, 23.4 Hz), 55.40, 18.94, 16.85.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.43 (dd, *J* = 228.9 Hz, 7.1 Hz, 1F), -122.58 (d, *J* = 228.9 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3083, 3058, 3032, 2999, 2959, 2933, 2842, 1786, 1645, 1607, 1579, 1504, 1446, 1423, 1383, 1303, 1248, 1213, 1148, 1106, 1080, 1050, 989, 867, 851, 811, 765, 698, 558, 489, 453.

**HRMS** (ESI-TOF): *m/z* calculated for C<sub>20</sub>H<sub>19</sub>F<sub>2</sub>NNaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 366.1276, found 366.1273.



**(E)-1-(2,5-dimethylphenyl)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)azetidin-2-one**

**(3q):** following the general procedure, the reaction of 2-bromo-*N*-(2,5-dimethylphenyl)-2,2-difluoroacetamide (55.4 mg, 0.2 mmol, 1.0 equiv.) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.30 mmol, 1.5 equiv.) at 40 °C afforded **3q** (35.3 mg, 54% yield) as a light yellow solid, m.p = 48 – 50 °C.

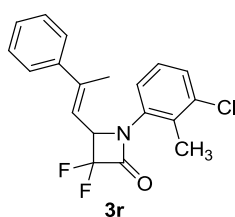
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.37 – 7.26 (m, 5H), 7.12 (d, *J* = 8.4 Hz, 1H), 7.03 (d, *J* = 6.6 Hz, 2H), 5.73 – 5.64 (m, 1H), 5.32 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.31 (s, 3H), 2.30 (s, 3H), 2.14 (d, *J* = 0.6 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 158.40 (t, *J* = 31.1 Hz), 145.42, 141.55, 136.52, 132.27 (t, *J* = 3.3 Hz), 131.33, 130.49, 129.07, 128.43, 128.29, 125.88, 124.54, 120.29 (t, *J* = 285.5 Hz), 117.05, 66.23 (dd, *J* = 26.3 Hz, 23.9 Hz), 20.80, 18.38, 16.87.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.09 (dd, *J* = 228.9 Hz, 7.1 Hz, 1F), -122.35 (d, *J* = 228.6 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3050, 3034, 3002, 2977, 2952, 2924, 2861, 1782, 1728, 1644, 1619, 1577, 1508, 1496, 1447, 1426, 1371, 1322, 1311, 1288, 1228, 1204, 1145, 1109, 1085, 1005, 980, 947, 909, 870, 849, 807, 787, 765, 739, 717, 695, 567, 449.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>20</sub>H<sub>19</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 350.1327; found 350.1330.



**(E)-1-(3-chloro-2-methylphenyl)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)azetidin-2-one**

**(3r):** following the general procedure, the reaction of 2-bromo-*N*-(3-chloro-2-methylphenyl)-2,2-difluoroacetamide (59.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (39.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **3r** (40.3 mg, 58% yield) as a light yellow oil.

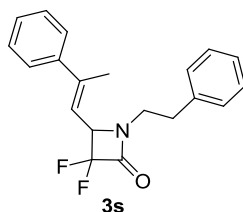
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.38 – 7.28 (m, 6H), 7.16 (t, *J* = 7.8 Hz, 1H), 7.12 (d, *J* = 7.8 Hz, 1H), 5.69 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.33 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.39 (s, 3H), 2.14 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 158.43 (t, *J* = 31.5 Hz), 146.15, 141.38, 136.09, 133.77 (t, *J* = 3.5 Hz), 132.76, 129.31, 128.49, 128.46, 127.11, 125.89, 122.80, 120.28 (t, *J* = 286.2 Hz), 116.45, 116.44, 66.51 (dd, *J* = 26.4 Hz, 23.7 Hz), 16.89, 16.00.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -112.97 (dd, *J* = 229.5 Hz, 7.3 Hz, 1F), -122.25 (d, *J* = 229.5 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3083, 3059, 3030, 2992, 2929, 2862, 1790, 1646, 1652, 1593, 1572, 1495, 1468, 1447, 1375, 1322, 1219, 1157, 1136, 1085, 1023, 987, 870, 854, 782, 764, 719, 708, 697, 600, 558, 496.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>16</sub>ClF<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 370.0781; found 370.0770.



**(*E*)-3,3-difluoro-1-phenethyl-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (3s)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenethylacetamide (55.4 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (52.5 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **3s** (27.5 mg, 42% yield) as a colorless oil.

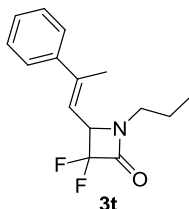
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.37 – 7.29 (m, 7H), 7.27- 7.23 (m, 1H), 7.20 (d, *J* = 7.2 Hz, 2H), 5.47 – 5.42 (m, 1H), 4.52 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 3.76 – 3.71 (m, 1H), 3.38 – 3.31 (m, 1H), 2.95 (t, *J* = 7.2 Hz, 2H), 1.98 (s, 3H).

**<sup>13</sup>C NMR** (125 MHz, CDCl<sub>3</sub>) δ 160.58 (t, *J* = 30.3 Hz), 145.51, 141.56, 137.77, 128.88, 128.60, 128.45, 128.33, 127.01, 125.87, 120.99 (t, *J* = 288.3 Hz), 116.87, 64.22 (dd, *J* = 26.1 Hz, 23.0 Hz), 41.96, 34.20, 16.50.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -114.27 (dd, *J* = 227.5 Hz, 7.5 Hz, 1F), -122.71 (d, *J* = 227.0 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3084, 3062, 3029, 2928, 2864, 1786, 1720, 1646, 1496, 1455, 1446, 1446, 1404, 1334, 1303, 1203, 1147, 1126, 1094, 1076, 1053, 1030, 998, 947, 892, 871, 847, 765, 740, 699, 559, 499, 472.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>20</sub>H<sub>19</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 350.1327; found 350.1326.



**(E)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)-1-propylazetidin-2-one (3t)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-propylacetamide (43.0 mg, 0.2 mmol, 1.0 equiv) and (1-methylcycloprop-2-en-1-yl)benzene (52.5 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **3t** (20.1 mg, 38% yield) as a colorless oil.

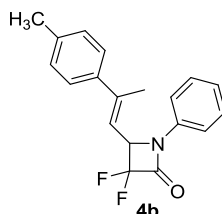
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.42 (d, *J* = 7.2 Hz, 2H), 7.37 (t, *J* = 7.2 Hz, 2H), 7.33 (t, *J* = 7.2 Hz, 1H), 5.71 – 5.59 (m, 1H), 4.81 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 3.47 – 3.37 (m, 1H), 3.15 – 3.10 (m, 1H), 2.19 (s, 3H), 1.70 – 1.59 (m, 2H), 0.97 (t, *J* = 7.2 Hz, 3H).

**<sup>13</sup>C NMR** (125 MHz, CDCl<sub>3</sub>) δ 160.70 (t, *J* = 30.1 Hz), 145.24, 141.65, 128.52, 128.35, 125.89, 120.99 (t, *J* = 288.3 Hz), 117.22, 63.65 (dd, *J* = 26.4 Hz, 23.0 Hz), 42.27, 21.09, 16.70, 11.47.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -114.24 (dd, *J* = 226.4 Hz, 7.5 Hz, 1F), -122.40 (d, *J* = 226.4 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3084, 3059, 3033, 2967, 2935, 2878, 1789, 1647, 1495, 1459, 1446, 1406, 1385, 1324, 1298, 1205, 1182, 1118, 1075, 1026, 1009, 947, 919, 879, 764, 739, 699, 688.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>15</sub>H<sub>18</sub>F<sub>2</sub>NO ([M+ H]<sup>+</sup>), 266.1351; found 266.1348.



**(E)-3,3-difluoro-1-phenyl-4-(2-(*p*-tolyl)prop-1-en-1-yl)azetidin-2-one (4b)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-methyl-3-(1-methylcycloprop-2-en-1-yl)benzene (43.2



mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4b** (53.8 mg, 86% yield) as a colorless solid, m.p = 105 – 108 °C.

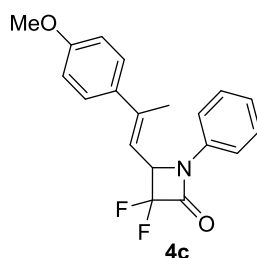
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.46 – 7.43 (m, 2H), 7.39 – 7.34 (m, 2H), 7.32 (d, *J* = 6.6 Hz, 2H), 7.21 – 7.16 (m, 1H), 7.15 (d, *J* = 8.4 Hz, 2H), 5.72 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.28 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.34 (s, 3H), 2.30 (d, *J* = 1.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.55 (t, *J* = 31.5 Hz), 144.84, 138.44, 138.41, 136.09 (t, *J* = 3.6 Hz), 129.43, 129.16, 125.77, 125.72, 119.98 (t, *J* = 285.3 Hz), 117.80, 116.39, 64.57 (dd, *J* = 26.9 Hz, 24.5 Hz), 21.08, 16.84.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.35 (dd, *J* = 229.4 Hz, 7.1 Hz, 1F), -120.03 (d, *J* = 229.4 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3074, 3037, 2992, 2951, 2921, 2862, 1775, 1731, 1641, 1599, 1504, 1459, 1388, 1335, 1316, 1306, 1215, 1149, 1122, 1085, 1002, 990, 881, 852, 830, 820, 758, 732, 723, 691, 559, 532, 511, 475.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 336.1170; found 336.1178.



**(*E*)-3,3-difluoro-4-(2-(4-methoxyphenyl)prop-1-en-1-yl)-1-phenylazetidin-2-one (4c):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-methoxy-4-(1-methylcycloprop-2-en-1-yl)benzene (48.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4c** (52.0 mg, 79% yield) as a colorless oil.

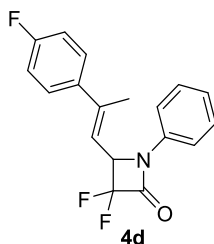
**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.45 – 7.36 (m, 4H), 7.37 – 7.28 (m, 3H), 6.93 – 6.84 (m, 2H), 5.73 (dq, *J* = 9.0 Hz, 1.0 Hz, 1H), 5.24 (ddd, *J* = 9.0, 7.5, 1.5 Hz, 1H), 3.78 (s, 3H), 2.30 (d, *J* = 1.0 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.36, 156.94 (t, *J* = 31.2 Hz), 145.04, 141.37, 129.39 (t, *J* = 3.5 Hz), 128.47, 128.36, 125.88, 120.06 (t, *J* = 285.3 Hz), 119.21, 117.41, 114.63, 64.53 (dd, *J* = 26.9 Hz, 24.5 Hz), 55.45, 16.85.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.24 (dd, *J* = 229.4 Hz, 7.5 Hz, 1F), -119.96 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3083, 3059, 3024, 3001, 2958, 2933, 2839, 1765, 1704, 1646, 1612, 1587, 1513, 1464, 1443, 1395, 1323, 1316, 1297, 1251, 1214, 1179, 1151, 1126, 1111, 1085, 1033, 1012, 998, 948, 828, 804, 764, 732, 700, 635, 621, 592, 532, 458.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO<sub>2</sub> ([M+Na]<sup>+</sup>), 352.1120; found 352.1114.



**(*E*)-3,3-difluoro-4-(2-(4-fluorophenyl)prop-1-en-1-yl)-1-phenylazetidin-2-one (4d):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-fluoro-4-(1-methylcycloprop-2-en-1-yl)benzene (59.2 mg, 0.4 mmol, 2.0 equiv) at 60 °C afforded **4d** (39.3 mg, 62% yield) as a light yellow oil.

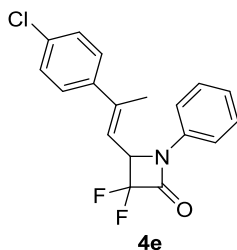
**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.44 (d, *J* = 8.0 Hz, 2H), 7.41 – 7.34 (m, 4H), 7.20 (t, *J* = 7.5 Hz, 1H), 7.03 (t, *J* = 8.5 Hz, 2H), 5.69 (dq, *J* = 9.0 Hz, 1.5 Hz, 1H), 5.27 (ddd, *J* = 9.0, 7.0, 1.5 Hz, 1H), 2.30 (d, *J* = 1.0 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 162.81 (d, *J* = 246.6 Hz), 157.46 (t, *J* = 31.5 Hz), 144.03, 137.46 (d, *J* = 3.2 Hz), 136.04 (t, *J* = 3.3 Hz), 129.49, 127.67 (d, *J* = 8.1 Hz), 125.81, 119.97 (t, *J* = 285.2 Hz), 117.76, 117.28, 115.42 (d, *J* = 21.3 Hz), 64.45 (dd, *J* = 26.7 Hz, 24.3 Hz), 17.03.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.45 (dd, *J* = 229.4 Hz, 7.1 Hz, 1F), -113.62 (tt, *J* = 8.5, 5.6 Hz, 1F), -120.17 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3077, 3051, 2993, 2959, 2923, 2865, 1766, 1686, 1648, 1600, 1504, 1459, 1387, 1333, 1319, 1307, 1229, 1215, 1152, 1125, 1104, 1088, 1004, 993, 949, 902, 876, 853, 832, 820, 757, 733, 725, 689, 669, 559, 534, 510, 490.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>14</sub>F<sub>3</sub>NNaO ([M+Na]<sup>+</sup>), 340.0920; found 340.0901.



**(E)-4-(2-(4-chlorophenyl)prop-1-en-1-yl)-3,3-difluoro-1-phenylazetidin-2-one (4e):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-chloro-4-(1-methylcycloprop-2-en-1-yl)benzene (65.6 mg, 0.4 mmol, 2.0 equiv) at 60 °C afforded **4e** (36.6 mg, 55% yield) as a light yellow solid, m.p = 102 – 105 °C.

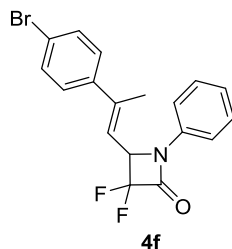
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 7.45 – 7.40 (m, 2H), 7.40 – 7.28 (m, 6H), 7.20 (t, *J* = 7.0 Hz, 1H), 5.73 (dq, *J* = 8.5 Hz, 1.5 Hz, 1H), 5.27 (ddd, *J* = 9.0, 7.5, 1.5 Hz, 1H), 2.30 (d, *J* = 1.0 Hz, 3H).

<sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) δ 157.38 (t, *J* = 31.2 Hz), 143.91, 139.71, 135.97 (t, *J* = 3.5 Hz), 134.35, 129.50, 128.65, 127.21, 125.84, 119.91 (t, *J* = 285.6 Hz), 117.83, 117.73, 64.36 (dd, *J* = 26.9 Hz, 24.5 Hz), 16.86.

<sup>19</sup>F NMR (470 MHz, CDCl<sub>3</sub>) δ -112.96 (dd, *J* = 229.8 Hz, 7.5 Hz, 1F), -119.78 (d, *J* = 229.8 Hz, 1F).

IR (cm<sup>-1</sup>) 3086, 3058, 2956, 2924, 2855, 1787, 1642, 1594, 1493, 1458, 1380, 1333, 1320, 1306, 1207, 1147, 1122, 1096, 1086, 1070, 1009, 1002, 991, 946, 910, 885, 829, 759, 729, 690, 671, 537, 511, 463.

HRMS (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>14</sub>ClF<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 356.0624; found 356.0622.



**(E)-4-(2-(4-bromophenyl)prop-1-en-1-yl)-3,3-difluoro-1-phenylazetidin-2-one (4f):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-bromo-4-(1-methylcycloprop-2-en-1-yl)benzene (62.4

mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4f** (64.1 mg, 85% yield) as a light yellow solid, m.p = 81 – 84 °C.

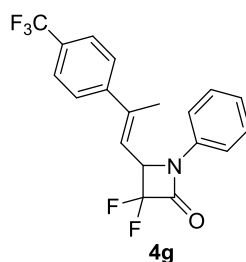
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.47 (d, *J* = 7.8 Hz, 2H), 7.43 (d, *J* = 8.4 Hz, 2H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.28 (d, *J* = 8.4 Hz, 2H), 7.20 (t, *J* = 7.2 Hz, 1H), 5.77 – 5.71 (m, 1H), 5.30 – 5.24 (m, 1H), 2.30 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.36 (t, *J* = 31.4 Hz), 143.96, 140.16, 135.95 (t, *J* = 3.6 Hz), 131.62, 129.51, 127.52, 125.84, 122.51, 119.87 (t, *J* = 285.6 Hz), 117.88, 117.71, 64.33 (dd, *J* = 27.2 Hz, 24.5 Hz), 16.83.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -113.09 (dd, *J* = 229.0 Hz, 7.3 Hz, 1F), -119.81 (d, *J* = 229.0 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3084, 3055, 2997, 2958, 2925, 1794, 1745, 1643, 1593, 1493, 1459, 1379, 1333, 1319, 1306, 1207, 1147, 1122, 1084, 1071, 1004, 991, 946, 909, 885, 850, 826, 759, 729, 690, 537, 511, 466.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>15</sub>BrF<sub>2</sub>NO ([M+ H]<sup>+</sup>), 378.0300; found 378.0291.



**(E)-3,3-difluoro-1-phenyl-4-(2-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)azetidin-2-one**

**(4g)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-(1-methylcycloprop-2-en-1-yl)-4-(trifluoromethyl)benzene (79.2 mg, 0.4 mmol, 2.0 equiv) at 50 °C afforded **4g** (44.1 mg, 60% yield) as a colorless solid, m.p = 118 – 120 °C.

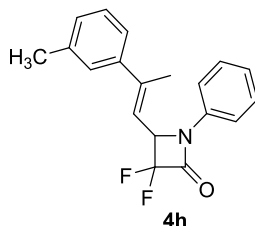
**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.60 (d, *J* = 8.0 Hz, 2H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.46 – 7.41 (m, 2H), 7.38 (t, *J* = 7.5 Hz, 2H), 7.21 (t, *J* = 7.5 Hz, 1H), 5.80 (dq, *J* = 8.5 Hz, 1.5 Hz, 1H), 5.29 (ddd, *J* = 8.5, 7.0, 1.5 Hz, 1H), 2.34 (d, *J* = 1.0 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.29 (t, *J* = 31.5 Hz), 144.80, 143.93, 135.92 (t, *J* = 3.5 Hz), 130.40 (q, *J* = 32.0 Hz), 129.55, 126.30, 125.92, 125.50 (q, *J* = 3.6 Hz), 124.86 (t, *J* = 270.5 Hz), 119.89 (t, *J* = 285.8 Hz), 119.42, 117.71, 64.24 (dd, *J* = 26.9 Hz, 24.5 Hz), 16.92.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ – 62.83 (s, 1CF<sub>3</sub>), -113.16 (dd, *J* = 229.4 Hz, 7.1 Hz, 1F), -119.89 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3057, 2955, 2926, 2869, 1773, 1616, 1600, 1504, 1489, 1412, 1398, 1330, 1215, 1171, 1161, 1154, 1119, 1105, 1089, 1077, 1012, 1004, 995, 839, 757, 734, 698, 687, 670, 602, 539, 507, 484.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>14</sub>F<sub>5</sub>NNaO ([M+Na]<sup>+</sup>), 390.0888; found 390.0880.



**(*E*)-3,3-difluoro-1-phenyl-4-(2-(*m*-tolyl)prop-1-en-1-yl)azetidin-2-one (4h):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-methyl-3-(1-methylcycloprop-2-en-1-yl)benzene (43.2 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4h** (31.3 mg, 50% yield) as a light yellow oil.

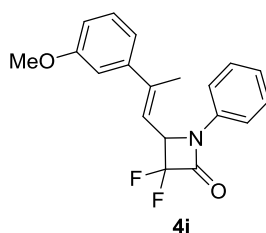
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.48 – 7.42 (m, 2H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.25 – 7.17 (m, 4H), 7.13 (d, *J* = 6.6 Hz, 1H), 5.72 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.28 (ddd, *J* = 9.0, 7.2, 1.5 Hz, 1H), 2.35 (s, 3H), 2.31 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.52 (t, *J* = 31.5 Hz), 145.18, 141.36, 138.15, 136.07 (t, *J* = 3.6 Hz), 129.45, 129.15, 128.39, 126.60, 125.73, 123.02, 119.96 (t, *J* = 285.5 Hz), 117.77, 117.04, 64.50 (dd, *J* = 26.9 Hz, 24.5 Hz), 21.42, 16.94.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.31 (dd, *J* = 229.4 Hz, 7.3 Hz, 1F), -120.03 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3040, 2951, 2923, 2860, 1769, 1649, 1600, 1586, 1503, 1496, 1463, 1398, 1333, 1320, 1307, 1211, 1151, 1105, 1091, 1004, 993, 857, 828, 790, 785, 760, 706, 690, 537, 508.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 336.1170; found 336.1166.



**(E)-3,3-difluoro-4-(2-(3-methoxyphenyl)prop-1-en-1-yl)-1-phenylazetidin-2-one**

**(4i):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-methoxy-3-(1-methylcycloprop-2-en-1-yl)benzene (48.0 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4i** (49.4 mg, 75% yield) as a colorless oil.

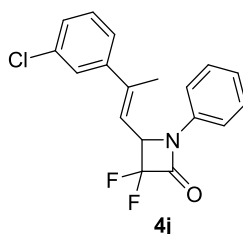
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.44 (d, *J* = 7.8 Hz, 2H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.27 – 7.24 (m, 1H), 7.20 (t, *J* = 7.2 Hz, 1H), 7.01 (d, *J* = 7.8 Hz, 1H), 6.94 (t, *J* = 1.8 Hz, 1H), 6.86 (dd, *J* = 7.8, 1.8 Hz, 1H), 5.75 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.28 (ddd, *J* = 9.0, 7.2, 1.2 Hz, 1H), 3.81 (s, 3H), 2.31 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 159.65, 157.48 (t, *J* = 31.7 Hz), 144.92, 142.88, 136.03, 129.51, 129.47, 125.78, 119.93 (t, *J* = 285.3 Hz), 118.41, 117.77, 117.50, 113.38, 112.12, 64.44 (dd, *J* = 27.0 Hz, 24.6 Hz), 55.31, 17.01.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.24 (dd, *J* = 228.9 Hz, 7.4 Hz, 1F), -119.95 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3066, 2999, 2958, 2837, 1781, 1720, 1600, 1578, 1549, 1502, 1461, 1449, 1432, 1389, 1319, 1288, 1266, 1216, 1151, 1124, 1089, 1045, 1004, 993, 957, 863, 828, 781, 756, 690, 597, 573, 538, 508.

**HRMS (ESI-TOF) (*m/z*):** Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO<sub>2</sub> ([M+Na]<sup>+</sup>), 352.1120; found 352.1103.



**(E)-4-(2-(3-chlorophenyl)prop-1-en-1-yl)-3,3-difluoro-1-phenylazetidin-2-one (4j):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-chloro-3-(1-methylcycloprop-2-en-1-yl)benzene (49.4 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4j** (39.3 mg, 59% yield) as a light yellow solid, m.p = 81 – 84 °C.

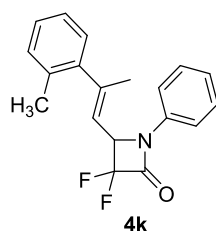
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.35 (d, *J* = 8.4 Hz, 2H), 7.30 (t, *J* = 7.2 Hz, 3H), 7.21 – 7.17 (m, 3H), 7.13 (t, *J* = 7.8 Hz, 1H), 5.71 – 5.61 (m, 1H), 5.19 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.22 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.32 (t, *J* = 31.8 Hz), 143.83, 143.13, 135.94 (t, *J* = 3.5 Hz), 134.51, 129.76, 129.52, 128.40, 126.11, 125.86, 124.10, 119.89 (t, *J* = 285.8 Hz), 118.51, 117.71, 64.26 (dd, *J* = 26.9 Hz, 24.5 Hz), 16.88.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.06 (dd, *J* = 229.4 Hz, 7.1 Hz, 1F), -119.76 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3082, 3059, 3033, 2989, 2958, 2925, 2856, 1788, 1645, 1597, 1578, 1483, 1446, 1381, 1317, 1274, 1217, 1151, 1128, 1085, 1056, 1015, 947, 876, 852, 779, 764, 724, 696, 678, 560, 535, 459, 441.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>18</sub>H<sub>14</sub>ClF<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 356.0624; found 356.0608.



**(*E*)-1-(3-bromophenyl)-3,3-difluoro-4-(2-phenylprop-1-en-1-yl)azetidin-2-one (4k):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-methyl-2-(1-methylcycloprop-2-en-1-yl)benzene (57.6 mg, 0.4 mmol, 2.0 equiv) at 40 °C afforded **4k** (49.5 mg, 79% yield) as a light yellow solid, m.p = 74 – 76 °C.

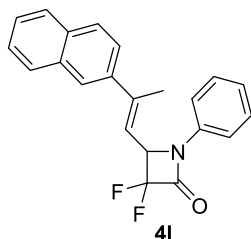
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.39 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.4 Hz, 2H), 7.16 – 7.05 (m, 4H), 6.99 (d, *J* = 7.2 Hz, 1H), 5.26 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.18 (ddd, *J* = 9.0, 7.8, 1.8 Hz, 1H), 2.19 (s, 3H), 2.13 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.46 (t, *J* = 31.4 Hz), 147.33, 143.11, 135.96 (t, *J* = 3.6 Hz), 134.18, 130.38, 129.40, 127.60, 127.54, 125.80, 125.79, 119.98 (t, *J* = 285.3 Hz), 119.40, 119.39, 117.81, 64.01 (dd, *J* = 26.7 Hz, 24.6 Hz), 19.67, 19.17.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.48 (dd, *J* = 229.4 Hz, 7.2 Hz, 1F), -120.39 (d, *J* = 229.4 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3065, 3051, 3015, 2980, 2948, 2923, 2860, 1770, 1728, 1658, 1599, 1497, 1461, 1394, 1336, 1319, 1306, 1213, 1157, 1106, 1091, 1029, 1005, 994, 957, 864, 830, 762, 729, 691, 666, 574, 541, 515, 487, 447.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>19</sub>H<sub>17</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 336.1170; found 336.1182.



**(E)-3,3-difluoro-4-(2-(naphthalen-2-yl)prop-1-en-1-yl)-1-phenylazetidin-2-one (4l):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 2-(1-methylcycloprop-2-en-1-yl)naphthalene (72.0 mg, 0.4 mmol, 2.0 equiv) at 60 °C afforded **4l** (31.4 mg, 45% yield) as a colorless solid, m.p = 139 – 142 °C.

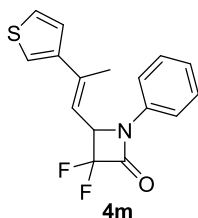
<sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.88 – 7.78 (m, 4H), 7.56 (dd, *J* = 9.0, 1.8 Hz, 1H), 7.52 – 7.44 (m, 4H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.21 (t, *J* = 7.2 Hz, 1H), 5.90 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.35 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.43 (d, *J* = 1.2 Hz, 3H).

<sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) δ 157.53 (t, *J* = 31.7 Hz), 144.83, 138.46, 136.10 (t, *J* = 3.5 Hz), 133.17, 133.16, 129.51, 128.28, 128.17, 127.57, 126.51, 126.44, 125.80, 125.06, 123.80, 120.00 (t, *J* = 285.5 Hz), 117.81, 117.77, 120.00 (t, *J* = 285.5 Hz), 64.60 (dd, *J* = 26.9 Hz, 24.5 Hz), 16.97.

<sup>19</sup>F NMR (470 MHz, CDCl<sub>3</sub>) δ -113.19 (dd, *J* = 229.4 Hz, 7.1 Hz, 1F), -119.84 (d, *J* = 229.4 Hz, 1F).

IR (cm<sup>-1</sup>) 3086, 3059, 2958, 2953, 1789, 1743, 1633, 1595, 1493, 1458, 1382, 1335, 1320, 1309, 1211, 1168, 1149, 1120, 1086, 1073, 1003, 991, 857, 821, 760, 749, 730, 691, 668, 536, 510, 480.

HRMS (ESI-TOF) (*m/z*): Calcd for C<sub>22</sub>H<sub>18</sub>F<sub>2</sub>NO ([M+H]<sup>+</sup>), 350.1351; found 350.1347.



**(E)-3,3-difluoro-1-phenyl-4-(2-(thiophen-3-yl)prop-1-en-1-yl)azetidin-2-one (4m):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 3-(1-methylcycloprop-2-en-1-yl)thiophene (54.4 mg, 0.4



mmol, 2.0 equiv) at 60 °C afforded **4m** (27.6 mg, 45% yield) as a colorless solid, m.p = 102 – 105 °C.

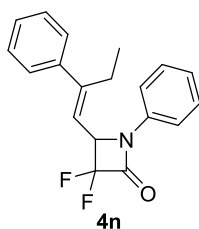
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.46 – 7.41 (m, 2H), 7.36 (t, *J* = 7.2 Hz, 2H), 7.34 – 7.32 (m, 1H), 7.30 – 7.27 (m, 1H), 7.25 – 7.22 (m, 1H), 7.19 (t, *J* = 7.8 Hz, 1H), 5.83 (dq, *J* = 9.0 Hz, 1.2 Hz, 1H), 5.29 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.30 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.51 (t, *J* = 31.5 Hz), 142.55, 139.20, 136.05 (t, *J* = 3.8 Hz), 129.46, 126.16, 125.77, 125.05, 122.01, 119.97 (t, *J* = 285.3 Hz), 117.81, 115.72, 64.38 (dd, *J* = 27.0 Hz, 24.3 Hz), 16.57.

**<sup>19</sup>F NMR** (564 MHz, CDCl<sub>3</sub>) δ -113.34 (dd, *J* = 229.0 Hz, 7.3 Hz, 1F), -119.93 (d, *J* = 229.0 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3112, 3100, 2998, 2948, 2923, 2857, 1768, 1648, 1599, 1499, 1462, 1397, 1332, 1319, 1299, 1211, 1181, 1154, 1092, 1004, 992, 968, 872, 861, 830, 819, 777, 759, 732, 701, 688, 670, 629, 540, 533, 505, 496.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>16</sub>H<sub>13</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 328.0578; found 328.0587.



**(*E*)-3,3-difluoro-1-phenyl-4-(2-phenylbut-1-en-1-yl)azetidin-2-one (4n)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and (1-ethylcycloprop-2-en-1-yl)benzene (43.2 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4n** (43.9 mg, 70% yield) as a colorless solid, m.p = 83 – 85 °C.

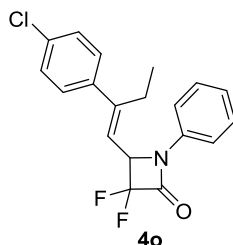
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.48 – 7.44 (m, 2H), 7.40 – 7.29 (m, 7H), 7.21 – 7.16 (m, 1H), 5.64 – 5.54 (m, 1H), 5.28 (ddd, *J* = 9.0, 7.2, 1.8 Hz, 1H), 2.82 – 2.66 (m, 2H), 1.13 (t, *J* = 7.2 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.59 (t, *J* = 31.5 Hz), 151.94, 140.44, 136.09 (t, *J* = 3.5 Hz), 129.42, 128.52, 128.28, 126.46, 125.75, 119.01 (t, *J* = 285.3 Hz), 117.80, 117.15, 64.26 (dd, *J* = 26.7 Hz, 24.6 Hz), 24.10, 13.81.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.50 (dd, *J* = 229.4 Hz, 7.1 Hz, 1F), -119.87 (d, *J* = 229.4 Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3079, 3056, 3022, 2973, 2939, 2878, 1774, 1728, 1651, 1599, 1498, 1465, 1443, 1396, 1334, 1318, 1310, 1213, 1181, 1158, 1124, 1106, 1076, 1063, 1029, 1006, 995, 909, 872, 831, 803, 762, 730, 694, 670, 543, 510, 475.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{16}\text{H}_{13}\text{F}_2\text{NNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 336.1170; found 336.1167.



**(E)-4-(2-(4-chlorophenyl)but-1-en-1-yl)-3,3-difluoro-1-phenylazetidin-2-one (4o):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 1-chloro-4-(1-ethylcycloprop-2-en-1-yl)benzene (53.4 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4o** (62.5 mg, 90% yield) as a colorless solid, m.p = 85 – 88 °C.

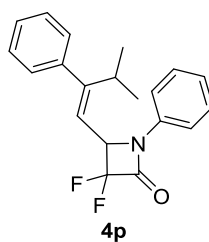
**$^1\text{H}$  NMR** (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.44 (d,  $J = 7.2$  Hz, 2H), 7.37 (t,  $J = 7.8$  Hz, 2H), 7.31 (s, 4H), 7.20 (t,  $J = 7.8$  Hz, 1H), 5.60 – 5.55 (m, 1H), 5.26 (ddd,  $J = 9.0, 7.2, 1.8$  Hz, 1H), 2.79 – 2.64 (m, 2H), 1.12 (t,  $J = 7.8$  Hz, 3H).

**$^{13}\text{C}$  NMR** (150 MHz,  $\text{CDCl}_3$ )  $\delta$  157.36 (t,  $J = 31.4$  Hz), 150.77, 138.80, 136.00 (t,  $J = 3.5$  Hz), 134.21, 129.46, 128.70, 127.78, 125.82, 119.84 (t,  $J = 285.5$  Hz), 117.74, 117.69, 64.11 (dd,  $J = 26.9$  Hz, 24.6 Hz), 24.04, 13.69.

**$^{19}\text{F}$  NMR** (470 MHz,  $\text{CDCl}_3$ )  $\delta$  -113.38 (dd,  $J = 228.9$  Hz, 7.1 Hz, 1F), -119.72 (d,  $J = 228.9$  Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3060, 3038, 2977, 2967, 2934, 2875, 1770, 1727, 1649, 1600, 1501, 1490, 1461, 1391, 1331, 1317, 1212, 1182, 1149, 1109, 1093, 1060, 1030, 1002, 992, 914, 899, 883, 871, 841, 826, 800, 793, 761, 731, 724, 688, 670, 640, 615, 556, 535, 511, 493, 475.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{19}\text{H}_{16}\text{ClF}_2\text{NNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 370.0781; found 370.0764.



**3,3-difluoro-4-(3-methyl-2-phenylbut-1-en-1-yl)-1-phenylazetid-2-one (4p):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and (1-isopropylcycloprop-2-en-1-yl)benzene (63.2 mg, 0.4 mmol, 2.0 equiv) at 40 °C afforded **4p** (43.8 mg, 65% yield) as a colorless oil, *E/Z* = 1 : 1.

**Z-4p** <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.44 (t, *J* = 7.5 Hz, 2H), 7.40 – 7.29 (m, 5H), 7.20 - 7.14 (m, 3H), 5.43 (d, *J* = 9.6 Hz, 1H), 4.71 (ddd, *J* = 9.6, 7.8, 1.8 Hz, 1H), 2.74 – 2.66 (m, 1H), 1.13 (d, *J* = 6.6 Hz, 3H), 1.01 (d, *J* = 6.6 Hz, 3H).

**Z-4p** <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) δ 158.91, 157.56 (t, *J* = 31.4 Hz), 138.83, 136.04 (t, *J* = 3.6 Hz), 129.24, 128.57, 128.12, 127.97, 125.55, 119.87 (t, *J* = 284.1 Hz), 117.85, 115.20, 64.98 (dd, *J* = 26.6 Hz, 24.2 Hz), 36.34, 21.91, 20.91.

**Z-4p** <sup>19</sup>F NMR (470 MHz, CDCl<sub>3</sub>) δ -115.40 (dd, *J* = 229.8 Hz, 7.5 Hz, 1F), -120.18 (d, *J* = 230.1 Hz, 1F).

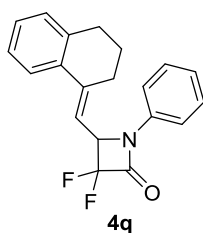
**Z-4p** IR (cm<sup>-1</sup>) 3077, 3064, 3030, 3021, 2982, 2967, 2932, 2875, 1778, 1733, 1651, 1599, 1504, 1493, 1464, 1443, 1386, 1363, 1336, 1319, 1308, 1290, 1221, 1180, 1170, 1152, 1126, 1106, 1099, 1081, 1060, 1029, 1005, 989, 866, 836, 820, 774, 759, 740, 729, 704, 689, 670, 604, 534, 510.

**E-4p** <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.48 (d, *J* = 8.4 Hz, 2H), 7.39 (t, *J* = 7.8 Hz, 2H), 7.33 – 7.30 (m, 3H), 7.23 – 7.14 (m, 3H), 5.40 – 5.33 (m, 1H), 5.30 – 5.26 (m, 1H), 3.20 – 3.10 (m, 1H), 1.22 (d, *J* = 6.6 Hz, 3H), 1.18 (d, *J* = 6.6 Hz, 3H).

**E-4p** <sup>13</sup>C NMR (150 MHz, CDCl<sub>3</sub>) δ 157.48 (t, *J* = 31.4 Hz), 157.20, 140.72, 136.04 (t, *J* = 3.5 Hz), 129.43, 128.08, 127.85, 127.46, 125.78, 119.79 (t, *J* = 285.2 Hz), 118.52, 117.82, 63.50 (dd, *J* = 26.4 Hz, 24.9 Hz), 30.81, 22.17, 21.36.

**E-4p** <sup>19</sup>F NMR (470 MHz, CDCl<sub>3</sub>) δ -113.60 (dd, *J* = 228.9 Hz, 7.5 Hz, 1F), -119.92 (d, *J* = 228.9 Hz, 1F).

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>20</sub>H<sub>19</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 350.1327; found 350.1323.



**(E)-4-((3,4-dihydronaphthalen-1(2H)-ylidene)methyl)-3,3-difluoro-1-phenylazetidin-2-one**

**tidin-2-one (4q):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 3',4'-dihydro-2'*H*-spiro[cycloprop[2]ene-1,1'-naphthalene] (46.8 mg, 0.3 mmol, 1.5 equiv) at 40 °C afforded **4q** (29.3 mg, 45% yield) as a colorless solid, m.p = 147 – 150 °C..

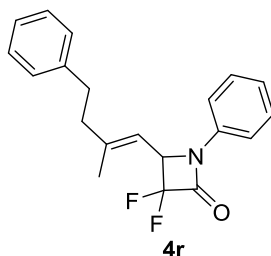
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.56 (d, *J* = 7.2 Hz, 1H), 7.47 – 7.42 (m, 2H), 7.36 (t, *J* = 7.2 Hz, 2H), 7.25 – 7.21 (m, 1H), 7.19 (t, *J* = 7.2 Hz, 1H), 7.16 (t, *J* = 7.2 Hz, 2H), 5.99– 5.95 (m, 1H), 5.34 - 5.30 (m, 1H), 2.92 – 2.87 (m, 2H), 2.82 – 2.77 (m, 1H), 2.72 – 2.66 (m, 1H), 2.02 – 1.97 (m, 2H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.58 (t, *J* = 31.4 Hz), 144.15, 138.14, 136.08 (t, *J* = 3.5 Hz), 133.89, 129.47, 129.21, 128.62, 126.37, 125.78, 124.53, 120.08 (t, *J* = 285.3 Hz), 117.87, 112.75, 64.25 (dd, *J* = 27.0 Hz, 24.6 Hz), 30.08, 27.47, 22.98.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.11 (dd, *J* = 228.9 Hz, 7.1 Hz, 1F), -119.90 (d, *J* = 228.9 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3062, 3020, 2949, 2930, 2867, 2838, 1773, 1727, 1635, 1596, 1497, 1486, 1464, 1457, 1395, 1366, 1337, 1319, 1307, 1292, 1267, 1210, 1179, 1159, 1109, 1093, 1055, 1027, 1005, 993, 856, 828, 764, 758, 732, 692, 670, 604, 530, 512, 429.

**HRMS (ESI-TOF) (*m/z*):** Calcd for C<sub>20</sub>H<sub>17</sub>F<sub>2</sub>NNaO ([*M*+Na]<sup>+</sup>), 348.1170; found 348.1166.



**3,3-difluoro-4-(2-methyl-4-phenylbut-1-en-1-yl)-1-phenylazetidin-2-one (4r):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(4-fluorophenyl)acetamide (53.4 mg, 0.2 mmol, 1.0 equiv) and (2-(1-methylcycloprop-2-en-1-yl)ethyl)benzene (63.2 mg, 0.4 mmol, 2.0 equiv) at 40 °C afforded **4r** (36.1 mg, 55% yield) as a light yellow oil, *E/Z* = 5 : 1.

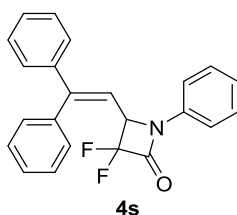
***E*-4r <sup>1</sup>H NMR** (400 MHz, CDCl<sub>3</sub>) δ 7.37 – 7.28 (m, 4H), 7.27 – 7.22 (m, 2H), 7.21 – 7.10 (m, 4H), 5.17 (dq, *J* = 8.8, 1.2 Hz, 1H), 5.07 (ddd, *J* = 8.8, 7.6, 1.6 Hz, 1H), 2.78 (t, *J* = 7.2 Hz, 2H), 2.45 (t, *J* = 7.6 Hz, 2H), 1.93 (d, *J* = 1.6 Hz, 3H).

**E-4r**  $^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta$  157.58 (t,  $J = 31.4$  Hz), 146.36, 140.91, 136.03 (t,  $J = 3.8$  Hz), 129.34, 128.38, 128.28, 126.04, 125.55, 119.83 (t,  $J = 284.9$  Hz), 117.76, 115.56, 64.00 (dd,  $J = 27.0$  Hz, 24.5 Hz), 41.16, 33.80, 17.28.

**E-4r**  $^{19}\text{F}$  NMR (564 MHz,  $\text{CDCl}_3$ )  $\delta$  -113.90 (dd,  $J = 229.4$  Hz, 7.6 Hz, 1F), -120.56 (d,  $J = 229.3$  Hz, 1F).

**E-4r** IR ( $\text{cm}^{-1}$ ) 3082, 3054, 3065, 3025, 2994, 2945, 2919, 2856, 1762, 1727, 1661, 1600, 1497, 1462, 1455, 1395, 1366, 1337, 1321, 1311, 1292, 1217, 1173, 1156, 1110, 1089, 1076, 1061, 1031, 1006, 995, 906, 857, 844, 829, 811, 800, 763, 734, 706, 697, 670, 561, 513, 491.

**E-4r** HRMS (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{20}\text{H}_{20}\text{F}_2\text{NO}$  ( $[\text{M}+\text{H}]^+$ ), 328.1507; found 328.1501.



**4-(2,2-diphenylvinyl)-3,3-difluoro-1-phenylazetidin-2-one (4s)**: following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and cycloprop-2-ene-1,1-diyldibenzene (76.8 mg, 0.4 mmol, 2.0 equiv) at 70 °C afforded **4s** (25.4 mg, 30% yield) as a red oil.

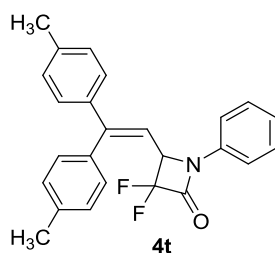
$^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.50 (t,  $J = 7.2$  Hz, 2H), 7.48 – 7.43 (m, 1H), 7.38 – 7.33 (m, 4H), 7.32 – 7.27 (m, 5H), 7.25 – 7.23 (m, 2H), 7.20 – 7.17 (m, 1H), 6.04 (dd,  $J = 9.0$  Hz, 1.8 Hz, 1H), 4.95 (ddd,  $J = 9.0$  Hz, 7.2 Hz, 1.8 Hz, 1H).

$^{13}\text{C}$  NMR (150 MHz,  $\text{CDCl}_3$ )  $\delta$  157.50 (t,  $J = 31.4$  Hz), 151.46, 140.20, 137.81, 136.07 (t,  $J = 3.5$  Hz), 129.60, 129.40, 128.84, 128.79, 128.74, 128.43, 127.78, 125.73, 120.10 (t,  $J = 284.6$  Hz), 118.40, 117.79, 65.23 (dd,  $J = 26.9$  Hz, 24.3 Hz).

$^{19}\text{F}$  NMR (470 MHz,  $\text{CDCl}_3$ )  $\delta$  -114.89 (dd,  $J = 229.8$  Hz, 7.0 Hz, 1F), -119.16 (d,  $J = 229.8$  Hz, 1F).

IR ( $\text{cm}^{-1}$ ) 3078, 3056, 3023, 2953, 2924, 2852, 1776, 1731, 1631, 1621, 1599, 1575, 1500, 1460, 1443, 1392, 1363, 1336, 1317, 1307, 1211, 1185, 1154, 1117, 1086, 1078, 1065, 1030, 1005, 994, 874, 837, 821, 778, 768, 759, 735, 703, 698, 690, 626, 600, 542.

HRMS (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{23}\text{H}_{17}\text{F}_2\text{NNaO}$  ( $[\text{M}+\text{Na}]^+$ ), 384.1170; found 384.1197.



**4-(2,2-di-p-tolylvinyl)-3,3-difluoro-1-phenylazetid-2-one (4t):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 4,4'-(cycloprop-2-ene-1,1-diyl)bis(methylbenzene) (88.1 mg, 0.4 mmol, 2.0 equiv) at 70 °C afforded **4t** (27.2 mg, 35% yield) as a red oil.

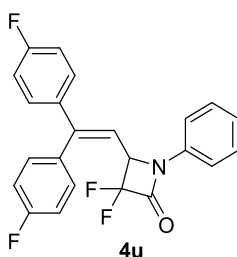
**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.39 – 7.31 (m, 4H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.20 – 7.09 (m, 7H), 5.96 (dd, *J* = 9.5 Hz, 1.5 Hz, 1H), 4.97 (ddd, *J* = 9.5 Hz, 7.5 Hz, 1.5 Hz, 1H), 2.43 (s, 3H), 2.34 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.57 (t, *J* = 31.5 Hz), 151.37, 138.81, 138.59, 137.64, 136.09 (t, *J* = 3.5 Hz), 135.00, 129.55, 129.45, 129.35, 129.07, 127.72, 125.65, 120.12 (t, *J* = 285.9 Hz), 117.83, 117.09, 65.33 (dd, *J* = 26.7 Hz, 24.5 Hz), 21.28, 21.15.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -115.07 (dd, *J* = 229.5 Hz, 7.5 Hz, 1F), -119.34 (d, *J* = 230.3 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3076, 3046, 3036, 3024, 2954, 2923, 2869, 1777, 1733, 1612, 1598, 1514, 1504, 1493, 1460, 1451, 1391, 1362, 1336, 1317, 1305, 1213, 1184, 1166, 1154, 1110, 1085, 1065, 1029, 1006, 994, 872, 835, 827, 817, 756, 735, 722, 688, 577, 569, 537, 478.

**HRMS (ESI-TOF) (*m/z*):** Calcd for C<sub>25</sub>H<sub>21</sub>F<sub>2</sub>NNaO ([M+Na]<sup>+</sup>), 412.1483; found 412.1487.



**4-(2,2-bis(4-fluorophenyl)vinyl)-3,3-difluoro-1-phenylazetid-2-one (4u):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 4,4'-(cycloprop-2-ene-1,1-diyl)bis(fluorobenzene) (91.2 mg, 0.4 mmol, 2.0 equiv) at 70 °C afforded **4u** (43.7 mg, 55% yield) as a red oil.

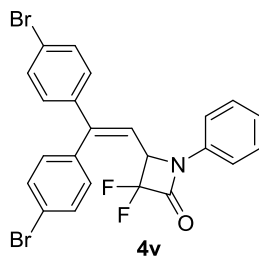
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.38 – 7.32 (m, 4H), 7.26 – 7.23 (m, 2H), 7.23 – 7.17 (m, 5H), 7.03 – 6.97 (m, 2H), 6.00 – 5.95 (m, 1H), 4.90 (ddd, *J* = 9.0 Hz, 7.2 Hz, 1.8 Hz, 1H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 163.16 (d, *J* = 248.0 Hz), 162.99 (d, *J* = 247.8 Hz), 157.25 (t, *J* = 31.5 Hz), 149.36, 136.26 (d, *J* = 3.2 Hz), 135.94 (t, *J* = 3.3 Hz), 133.53 (d, *J* = 3.5 Hz), 132.48 (d, *J* = 9.2 Hz), 131.36 (d, *J* = 8.3 Hz), 129.52 (d, *J* = 8.3 Hz), 125.86, 120.03 (t, *J* = 284.9 Hz), 118.69, 117.71, 116.11 (d, *J* = 21.5 Hz), 115.52 (d, *J* = 21.5 Hz), 64.05 (dd, *J* = 27.0 Hz, 24.5 Hz).

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ – 111.90 (tt, *J* = 8.0.5, 5.6 Hz, 1F), – 112.29 (tt, *J* = 8.0, 5.2 Hz, 1F), -114.68 (dd, *J* = 229.4 Hz, *J* = 7.0 Hz, 1F), -118.82 (d, *J* = 229.4 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3076, 3046, 3036, 3024, 2954, 2923, 2869, 1777, 1733, 1612, 1598, 1504, 1493, 1460, 1391, 1336, 1336, 1317, 1305, 1213, 1184, 1166, 1154, 1110, 1085, 1065, 1029, 1006, 994, 871, 835, 827, 817, 756, 735, 722, 688, 569, 537, 478.

**HRMS** (ESI-TOF) (*m/z*): Calcd for C<sub>23</sub>H<sub>16</sub>F<sub>4</sub>NO ([M+H]<sup>+</sup>), 398.1163; found 398.1150.



**4-(2,2-bis(4-bromophenyl)vinyl)-3,3-difluoro-1-phenylazetidin-2-one (4v):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv) and 4,4'-(cycloprop-2-ene-1,1-diyl)bis(bromobenzene) (140.0 mg, 0.4 mmol, 2.0 equiv) at 70 °C afforded **4v** (31.0 mg, 30% yield) as a red oil.

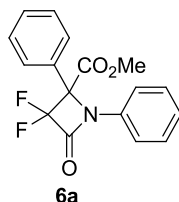
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.65 (d, *J* = 8.4 Hz, 2H), 7.43 (d, *J* = 9.0 Hz, 2H), 7.35 (d, *J* = 7.2 Hz, 2H), 7.32 (d, *J* = 7.8 Hz, 2H), 7.20 (t, *J* = 7.8 Hz, 1H), 7.14 (d, *J* = 8.4 Hz, 2H), 7.07 (d, *J* = 8.4 Hz, 2H), 6.06 – 6.01 (m, 1H), 4.89 (ddd, *J* = 9.0 Hz, 7.2 Hz, 1.8 Hz, 1H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 157.14 (t, *J* = 31.4 Hz), 149.22, 138.60, 136.08, 135.86, 132.29, 131.75, 131.13, 129.50, 129.26, 125.92, 123.44, 123.41, 119.94 (t, *J* = 285.3 Hz), 119.53, 117.67, 64.91 (dd, *J* = 27.0 Hz, 24.5 Hz).

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -114.43 (dd, *J* = 229.4 Hz, *J* = 7.1 Hz, 1F), -18.70 (d, *J* = 229.4 Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3063, 3047, 3033, 2954, 2924, 2852, 1782, 1626, 1598, 1587, 1502, 1489, 1460, 1385, 1332, 1316, 1215, 1179, 1152, 1117, 1104, 1084, 1070, 1029, 1008, 993, 917, 878, 827, 755, 730, 688, 669, 612, 512, 486, 468.

**HRMS** (ESI-TOF) ( $m/z$ ): Calcd for  $\text{C}_{23}\text{H}_{16}\text{Br}_2\text{F}_2\text{NO}$  ( $[\text{M}+\text{H}]^+$ ), 517.9656; found 517.9548.



**Methyl 3,3-difluoro-4-oxo-1,2-diphenylazetidine-2-carboxylate (6a):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv.) and methyl 2-diazo-2-phenylacetate (105.6 mg, 0.6 mmol, 3.0 equiv.) at 70 °C afforded **6a** (32.3 mg, 51% yield) as a colorless oil.

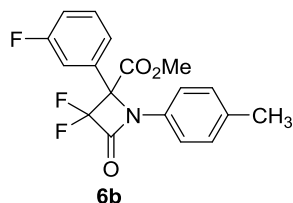
**$^1\text{H}$  NMR** (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.56 (d,  $J = 7.2$  Hz, 2H), 7.43 – 7.39 (m, 5H), 7.31 (t,  $J = 7.8$  Hz, 2H), 7.18 (t,  $J = 7.8$  Hz, 1H), 3.88 (s, 3H).

**$^{13}\text{C}$  NMR** (150 MHz,  $\text{CDCl}_3$ )  $\delta$  165.84, 157.26 (t,  $J = 30.9$  Hz), 135.63 (t,  $J = 3.3$  Hz), 129.72, 129.10, 128.73, 128.52, 127.84, 125.87, 119.01 (t,  $J = 293.9$  Hz), 118.98, 77.90 (t,  $J = 23.7$  Hz), 53.62.

**$^{19}\text{F}$  NMR** (470 MHz,  $\text{CDCl}_3$ )  $\delta$  -113.82 (d,  $J = 217.1$  Hz, 1F), -114.89 (d,  $J = 216.7$  Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3067, 3042, 2957, 2848, 1791, 1753, 1601, 1498, 1451, 1437, 1376, 1335, 1302, 1275, 1257, 1230, 1188, 1155, 1132, 1079, 1032, 1008, 927, 912, 834, 813, 793, 755, 693, 675, 557, 527.

**HRMS** (ESI-TOF):  $m/z$  calculated for  $\text{C}_{17}\text{H}_{14}\text{F}_2\text{NO}_3^+$   $[\text{M}+\text{H}]^+$  318.0936, found 318.0930.



**Methyl 3,3-difluoro-2-(3-fluorophenyl)-4-oxo-1-(*p*-tolyl)azetidine-2-carboxylate (6b):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*p*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv.) and methyl 2-diazo-2-(3-



fluorophenyl)acetate (116.4 mg, 0.60 mmol, 3.0 equiv.) at 70 °C afforded **6b** (38.4 mg, 55% yield) as a colorless oil.

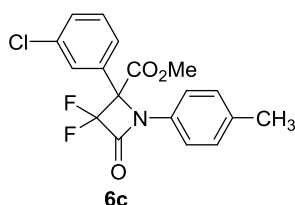
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.39 – 7.34 (m, 2H), 7.31 (d, *J* = 8.4 Hz, 1H), 7.27 (d, *J* = 8.4 Hz, 2H), 7.16 – 7.09 (m, 3H), 3.89 (s, 3H), 2.33 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 165.57, 163.44 (d, *J* = 245.6 Hz), 156.73 (t, *J* = 30.8 Hz), 136.02, 132.91 (t, *J* = 3.0 Hz), 131.03 (d, *J* = 7.7 Hz), 130.29 (d, *J* = 8.1 Hz), 129.76, 123.61 (d, *J* = 2.9 Hz), 119.01 (t, *J* = 293.0 Hz), 118.73, 116.80 (d, *J* = 20.9 Hz), 115.50 (d, *J* = 24.5 Hz), 53.83, 20.98.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -111.01 (m, 1F), -113.46 (d, *J* = 215.7 Hz, 1F), -114.75 (d, *J* = 215.7 Hz, 1F).

**IR** (cm<sup>-1</sup>) 3082, 3043, 3015, 2959, 2926, 2864, 1793, 1754, 1615, 1592, 1515, 1491, 1437, 1375, 1304, 1248, 1189, 1166, 1151, 1127, 1024, 1009, 962, 842, 813, 779, 692, 520.

**HRMS** (ESI-TOF): *m/z* calculated for C<sub>18</sub>H<sub>14</sub>F<sub>3</sub>NNaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 372.0818, found 372.0834.



**Methyl 2-(3-chlorophenyl)-3,3-difluoro-4-oxo-1-(*p*-tolyl)azetidine-2-carboxylate (**6c**):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*p*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv.) and methyl 2-diazo-2-(3-chlorophenyl)acetate (126.0 mg, 0.60 mmol, 3.0 equiv.) at 70 °C afforded **6c** (36.5 mg, 50% yield) as a colorless oil.

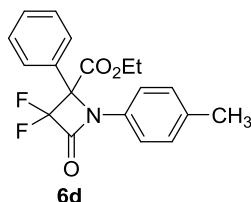
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.65 (s, 1H), 7.40 (t, *J* = 6.6 Hz, 2H), 7.33 (t, *J* = 7.8 Hz, 1H), 7.27 (d, *J* = 8.4 Hz, 2H), 7.13 (d, *J* = 8.4 Hz, 2H), 3.88 (s, 3H), 2.33 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 165.52, 156.70 (t, *J* = 30.8 Hz), 136.04, 134.82, 132.89 (t, *J* = 3.2 Hz), 130.67, 129.94, 129.90, 129.79, 128.19, 126.07, 119.02 (t, *J* = 292.8 Hz), 118.68, 53.86, 20.98.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.17 (d, *J* = 215.7 Hz, 1F), -114.75 (d, *J* = 215.7 Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3075, 3040, 3015, 2957, 2925, 2864, 1793, 1754, 1612, 1597, 1574, 1515, 1479, 1453, 1436, 1424, 1375, 1300, 1267, 1233, 1189, 1155, 1132, 1102, 1086, 1023, 1007, 927, 841, 813, 778, 748, 691, 523.

**HRMS** (ESI-TOF):  $m/z$  calculated for  $\text{C}_{18}\text{H}_{15}\text{ClF}_2\text{NO}_3^+$   $[\text{M}+\text{H}]^+$  366.0703, found 366.0698.



**Ethyl 3,3-difluoro-4-oxo-2-phenyl-1-(p-tolyl)azetidine-2-carboxylate (6d):**

following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*p*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv.) and ethyl 2-diazo-2-phenylacetate (114.0 mg, 0.60 mmol, 3.0 equiv.) at 70 °C afforded **6d** (31.1mg, 45% yield) as a colorless oil.

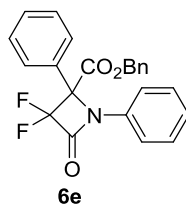
**$^1\text{H}$  NMR** (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.58 – 7.55 (m, 2H), 7.45 – 7.36 (m, 3H), 7.30 (d,  $J = 8.4$  Hz, 2H), 7.10 (d,  $J = 8.4$  Hz, 2H), 4.39 – 4.33 (m, 2H), 2.31 (s, 3H), 1.25 (t,  $J = 7.2$  Hz, 3H).

**$^{13}\text{C}$  NMR** (150 MHz,  $\text{CDCl}_3$ )  $\delta$  165.32, 157.18 (t,  $J = 30.9$  Hz), 135.71, 133.25 (t,  $J = 3.5$  Hz), 129.60, 129.54, 128.83, 128.65, 127.91, 119.06 (t,  $J = 291.3$  Hz), 118.94, 77.80 (t,  $J = 23.6$  Hz), 63.19, 20.98, 13.91.

**$^{19}\text{F}$  NMR** (470 MHz,  $\text{CDCl}_3$ )  $\delta$  – 113.73 (d,  $J = 216.2$  Hz, 1F), –115.08 (d,  $J = 216.2$  Hz, 1F).

**IR** ( $\text{cm}^{-1}$ ) 3064, 3040, 2984, 2964, 2926, 2867, 1789, 1750, 1516, 1450, 1375, 1303, 1252, 1228, 1155, 1132, 1040, 1022, 1009, 932, 842, 814, 748, 697, 525.

**HRMS** (ESI-TOF):  $m/z$  calculated for  $\text{C}_{19}\text{H}_{17}\text{F}_2\text{NNaO}_3^+$   $[\text{M}+\text{Na}]^+$  368.1069, found 368.1059.



**Benzyl 3,3-difluoro-4-oxo-1,2-diphenylazetidine-2-carboxylate (6e):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-phenylacetamide (49.8 mg, 0.2 mmol, 1.0 equiv.) and methyl 2-diazo-2-phenylacetate (151.3 mg, 0.6 mmol, 3.0 equiv.) at 70 °C afforded **6e** (39.3 mg, 50% yield) as a colorless oil.

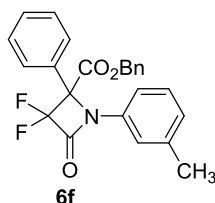
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.55 (d, *J* = 7.2 Hz, 2H), 7.42 – 7.35 (m, 5H), 7.27 – 7.23 (m, 5H), 7.17 – 7.13 (m, 3H), 5.38 (d, *J* = 12.0 Hz, 1H), 5.24 (d, *J* = 12.0 Hz, 1H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 165.20, 157.32 (t, *J* = 30.9 Hz), 135.67 (t, *J* = 3.0 Hz), 134.07, 129.69, 129.07, 128.70, 128.63, 128.60, 128.55, 128.36, 127.86, 125.84, 119.04 (t, *J* = 294.0 Hz), 118.99, 77.85 (t, *J* = 23.7 Hz), 68.74.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.23 (d, *J* = 216.2 Hz, 1F), -114.80 (d, *J* = 217.1 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3062, 3032, 2966, 2922, 2879, 1785, 1751, 1599, 1497, 1457, 1449, 1382, 1299, 1263, 1222, 1211, 1157, 1128, 1029, 1007, 966, 883, 833, 787, 757, 731, 694, 597, 551.

**HRMS** (ESI-TOF): *m/z* calculated for C<sub>23</sub>H<sub>17</sub>F<sub>2</sub>NNaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 416.1069, found 416.1064.



**Benzyl 3,3-difluoro-4-oxo-2-phenyl-1-(*m*-tolyl)azetidione-2-carboxylate (6f):** following the general procedure, the reaction of 2-bromo-2,2-difluoro-*N*-(*m*-tolyl)acetamide (52.6 mg, 0.2 mmol, 1.0 equiv.) and 2-diazo-2-phenylacetate (151.3 mg, 0.6 mmol, 3.0 equiv.) at 70 °C afforded **6f** (40.7 mg, 50% yield) as a colorless oil.

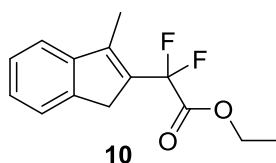
**<sup>1</sup>H NMR** (600 MHz, CDCl<sub>3</sub>) δ 7.55 (d, *J* = 6.6 Hz, 2H), 7.43 – 7.36 (m, 3H), 7.29 – 7.25 (m, 4H), 7.15 (d, *J* = 6.6 Hz, 2H), 7.11 (t, *J* = 7.8 Hz, 1H), 7.04 (d, *J* = 8.4 Hz, 1H), 6.97 (d, *J* = 7.8 Hz, 1H), 5.39 (d, *J* = 12.0 Hz, 1H), 5.21 (d, *J* = 12.0 Hz, 1H), 2.24 (s, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 165.27, 157.32 (t, *J* = 30.8 Hz), 139.28, 135.64 (t, *J* = 3.0 Hz), 134.13, 129.61, 128.83, 128.72, 128.64, 128.61, 128.53, 128.37, 127.89, 126.72, 119.57, 119.03 (t, *J* = 291.8 Hz), 116.02, 77.79 (t, *J* = 23.9 Hz), 68.70, 21.43.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -113.11 (d, *J* = 217.1 Hz, 1F), -115.02 (d, *J* = 215.7 Hz, 1F).

**IR (cm<sup>-1</sup>)** 3063, 3036, 2963, 2921, 2859, 1791, 1759, 1740, 1513, 1497, 1450, 1449, 1384, 1375, 1317, 1298, 1271, 1263, 1224, 1191, 1155, 1129, 1106, 1083, 1020, 1007, 907, 842, 829, 816, 811, 778, 754, 730, 700, 521.

**HRMS** (ESI-TOF): *m/z* calculated for C<sub>24</sub>H<sub>19</sub>FNNaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 430.1225, found 430.1219.



**Ethyl 2,2-difluoro-2-(3-methyl-1H-inden-2-yl)acetate (10):** following the general procedure, the reaction of ethyl 2-bromo-2,2-difluoroacetate (81.2 mg, 0.4 mmol, 2.0 equiv.) and (1-methylcycloprop-2-en-1-yl)benzene (26.0 mg, 0.2 mmol, 1.0 equiv.) at 70 °C afforded **10** (10.1 mg, 20% yield) as a yellow oil.

**<sup>1</sup>H NMR** (500 MHz, CDCl<sub>3</sub>) δ 7.49 (d, *J* = 7.0 Hz, 1H), 7.43 (d, *J* = 7.5 Hz, 1H), 7.40 – 7.35 (m, 1H), 7.32 (td, *J* = 7.3, 1.5 Hz, 1H), 4.32 (q, *J* = 7.0 Hz, 2H), 3.59 (q, *J* = 2.5 Hz, 2H), 2.31 (p, *J* = 2.5 Hz, 3H), 1.34 (t, *J* = 7.0 Hz, 3H).

**<sup>13</sup>C NMR** (150 MHz, CDCl<sub>3</sub>) δ 164.07 (t, *J* = 35.7 Hz), 145.22, 142.89 (t, *J* = 5.6 Hz), 142.37, 130.53 (t, *J* = 26.6 Hz), 126.62, 126.60, 123.79, 120.13, 113.81 (t, *J* = 247.8 Hz), 62.99, 37.83 (t, *J* = 3.6 Hz), 13.97, 11.12.

**<sup>19</sup>F NMR** (470 MHz, CDCl<sub>3</sub>) δ -99.68 (s, 2F).

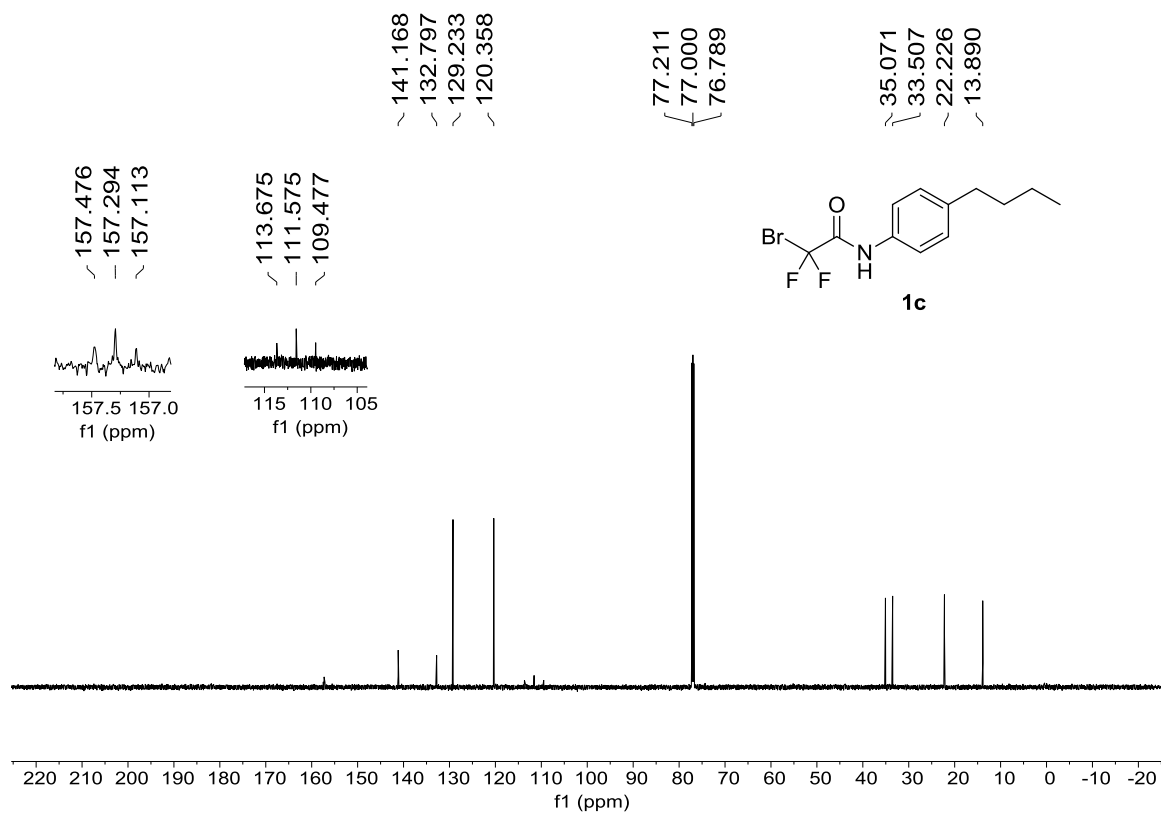
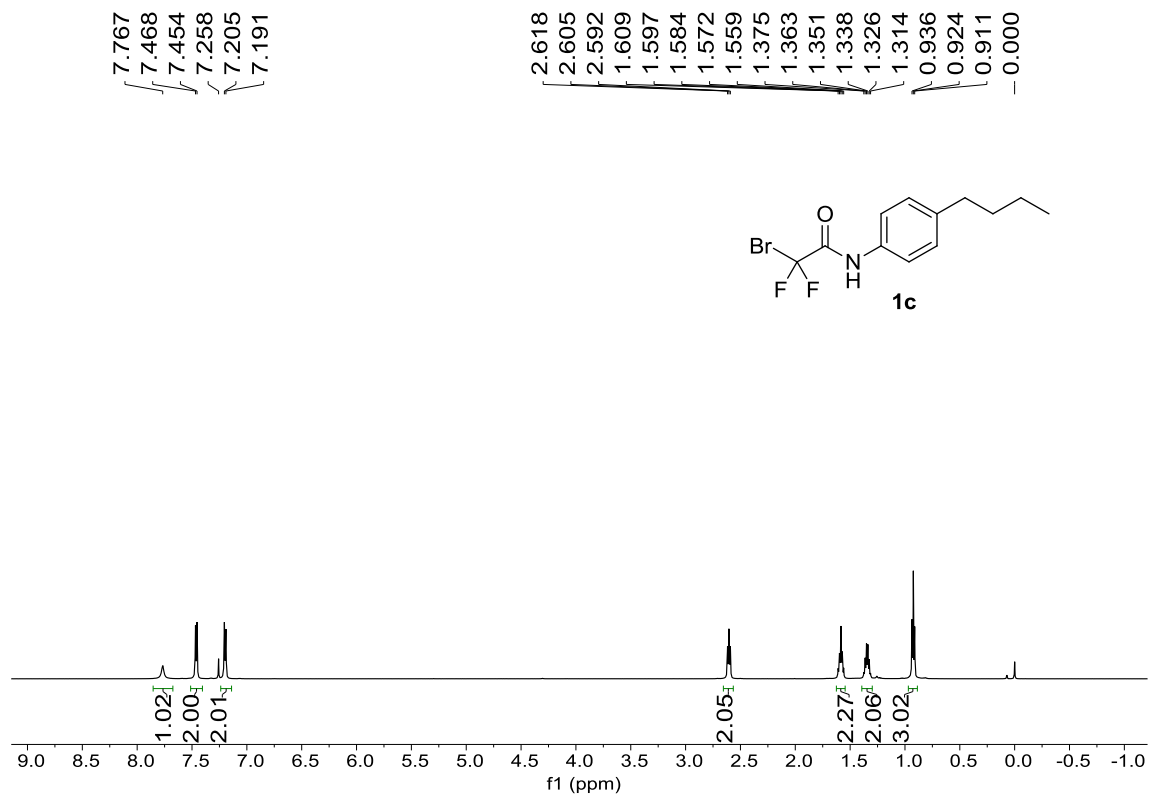
**IR (cm<sup>-1</sup>)** 3082, 3057, 3024, 2985, 2937, 1759, 1685, 1599, 14975, 1445, 1373, 1306, 1188, 1093, 1059, 1028, 888, 853, 760, 730, 699, 552.

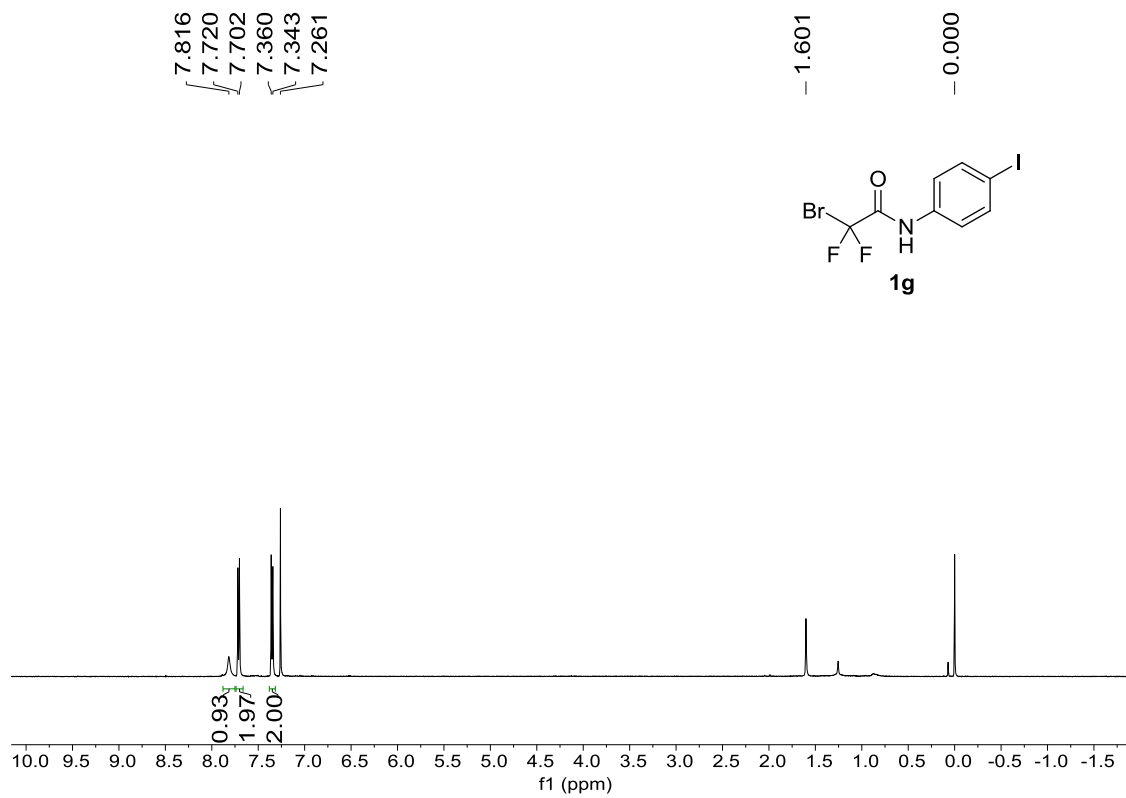
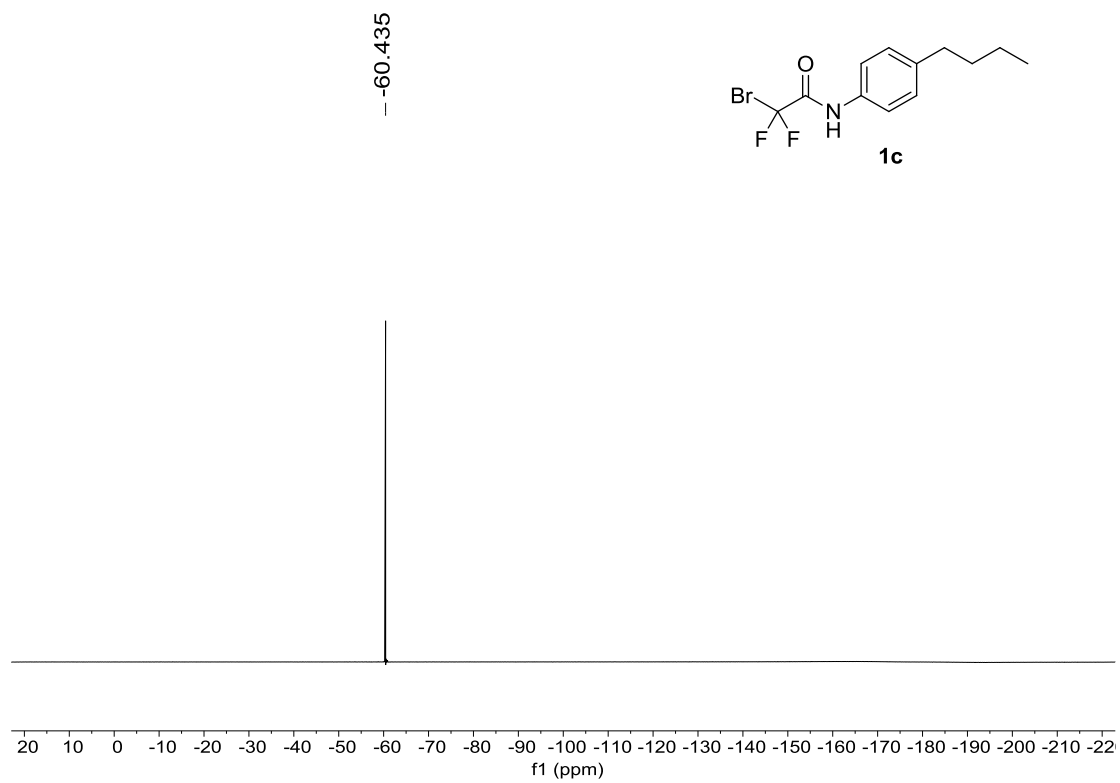
**HRMS** (ESI-TOF): *m/z* calculated for C<sub>14</sub>H<sub>15</sub>F<sub>2</sub>O<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 253.1035, found 253.1031.

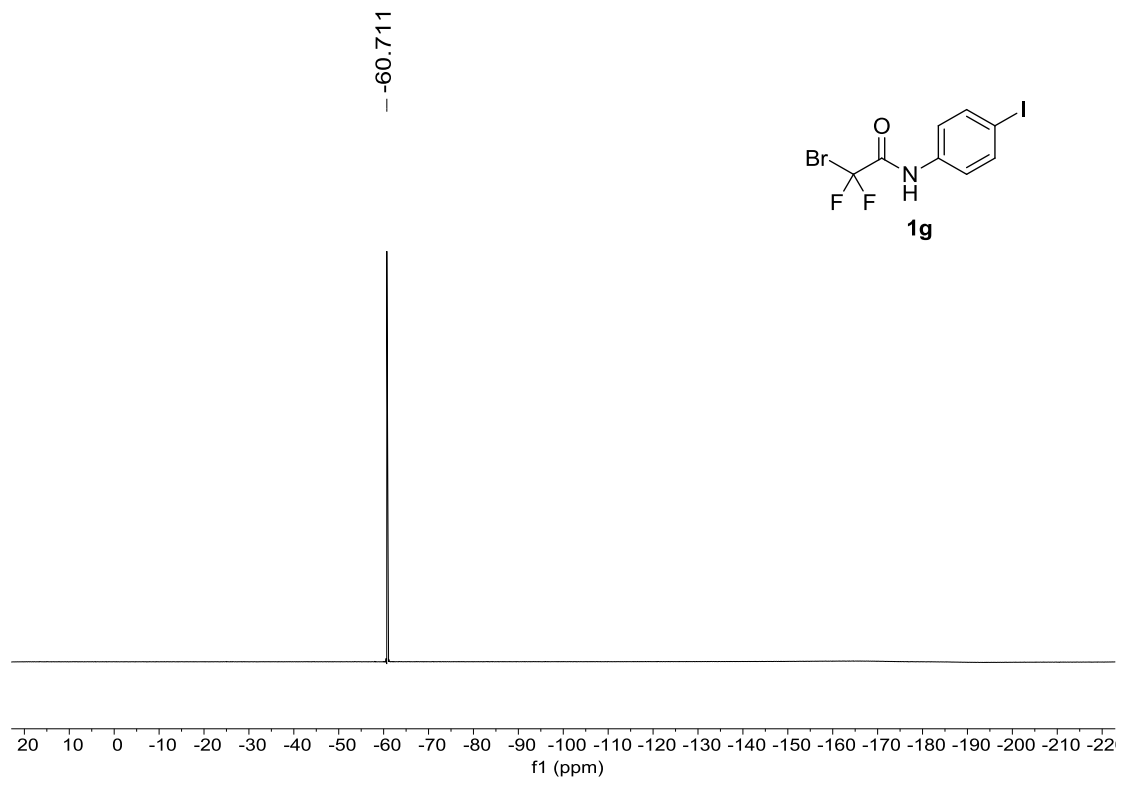
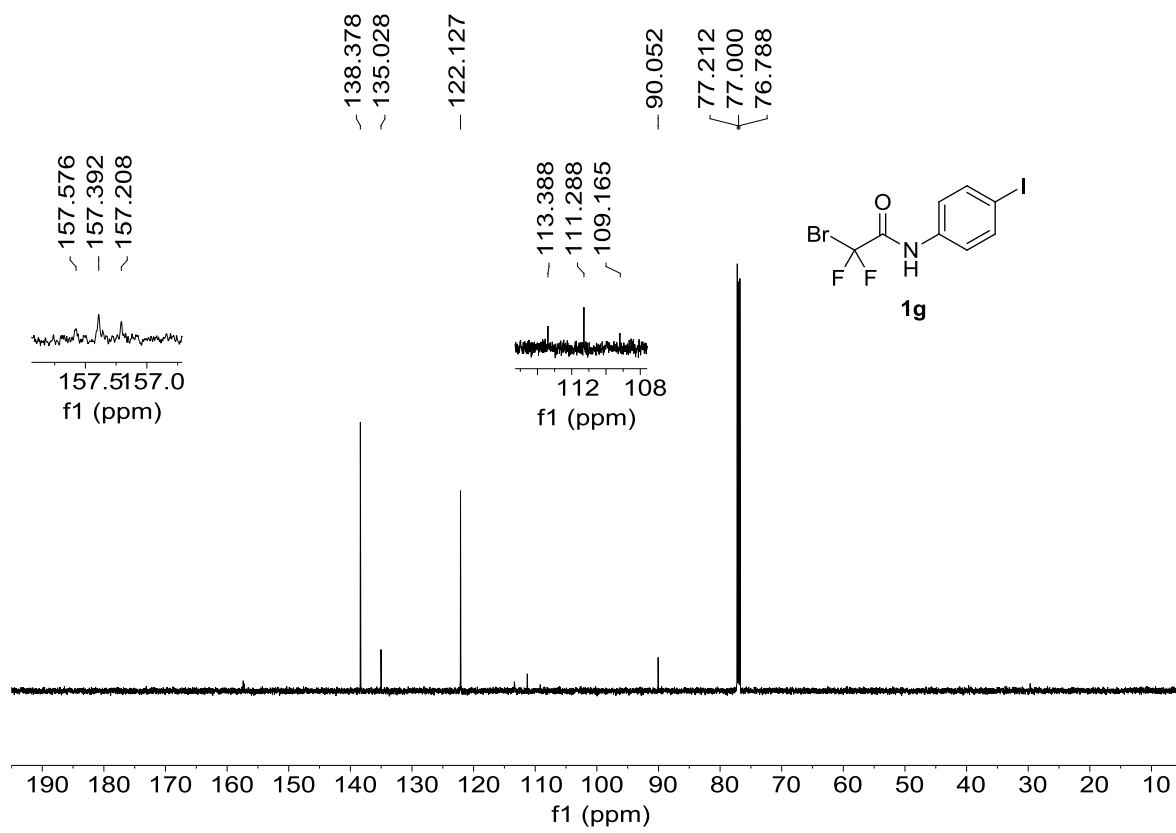
## 5. References

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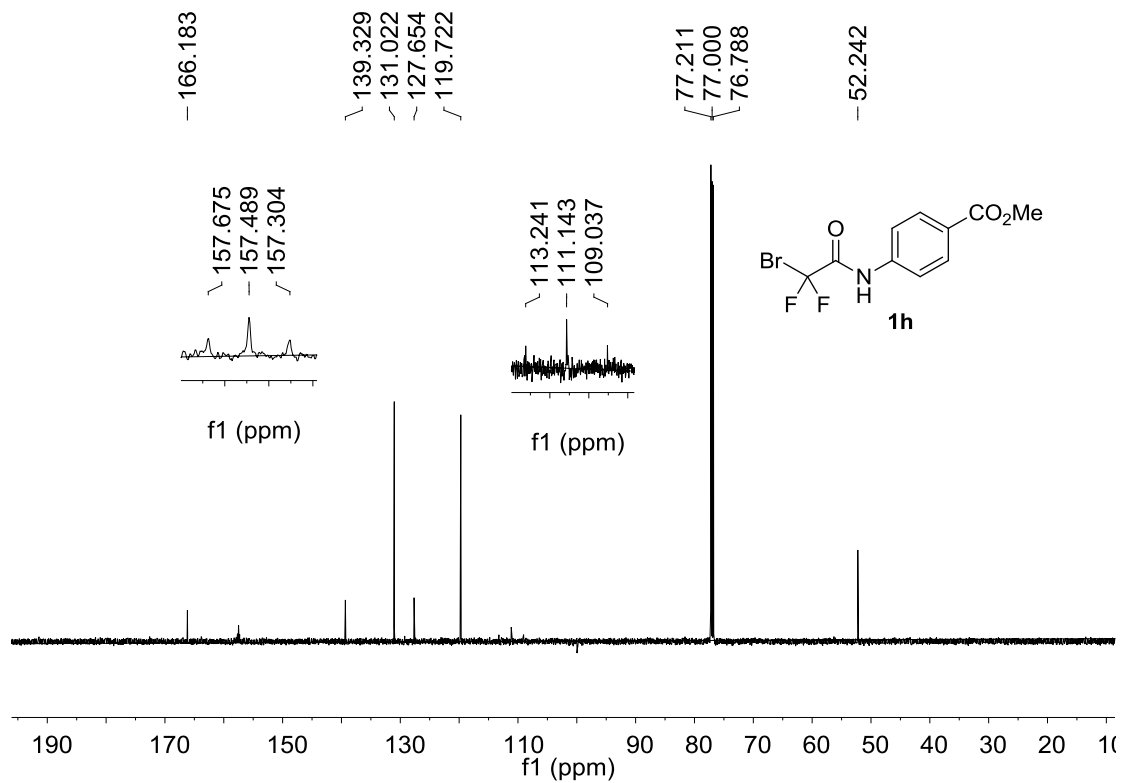
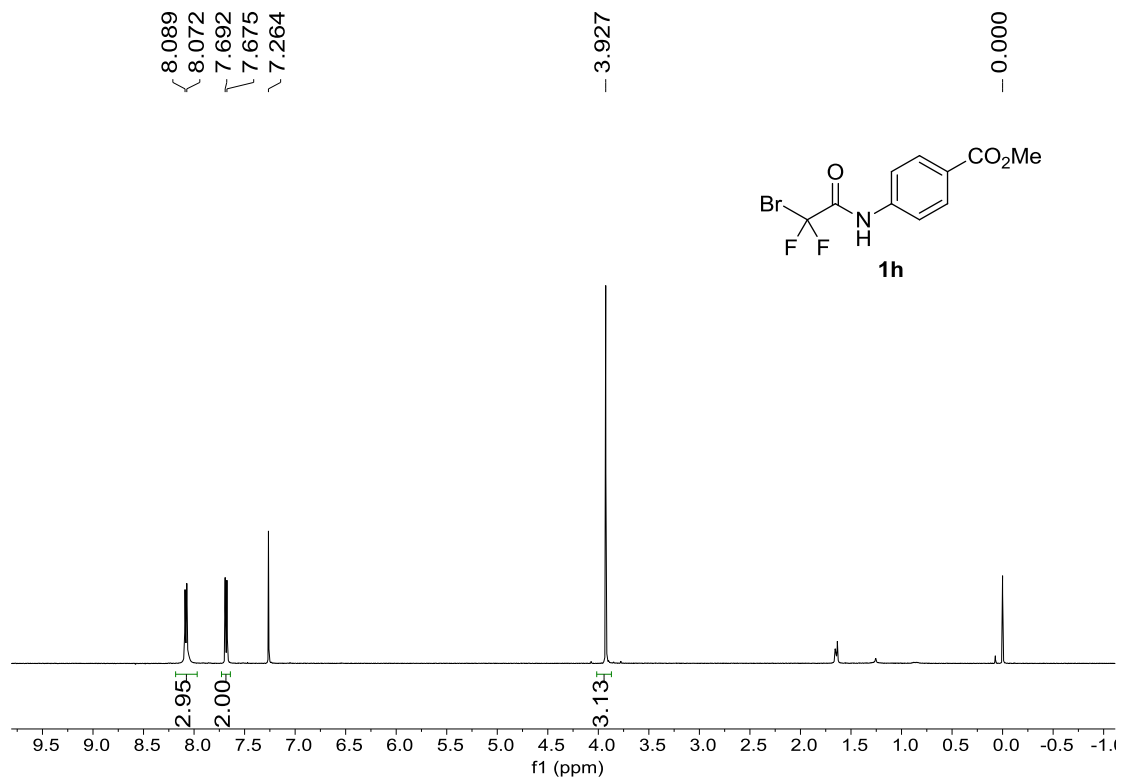
## 6. NMR spectra

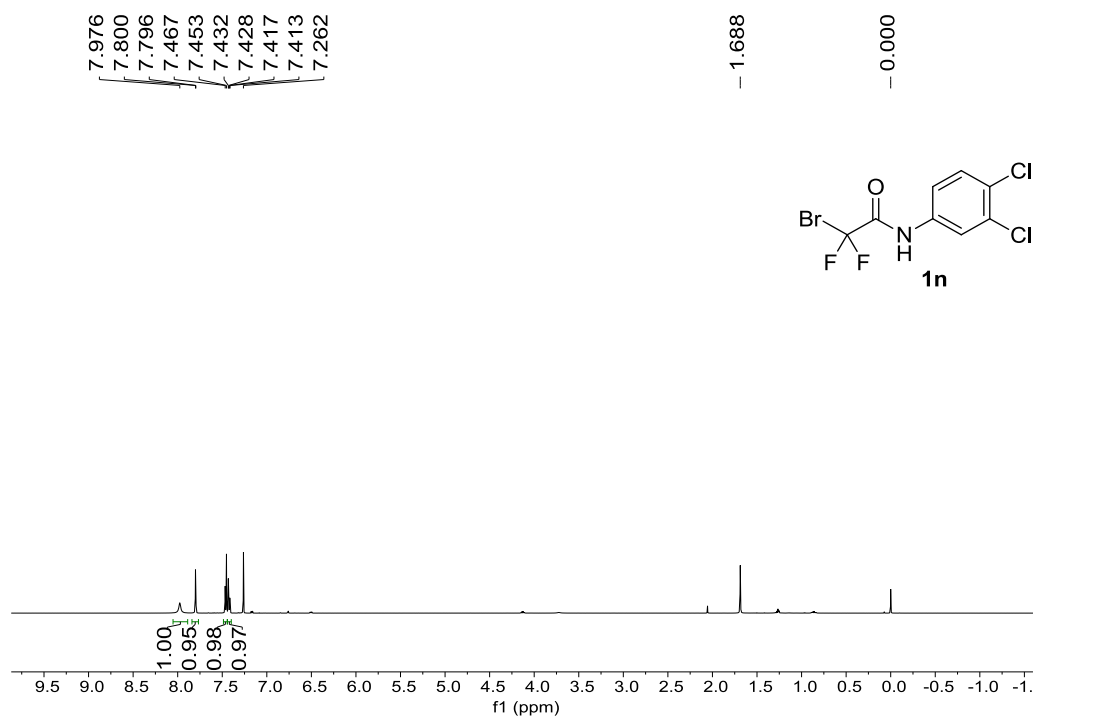
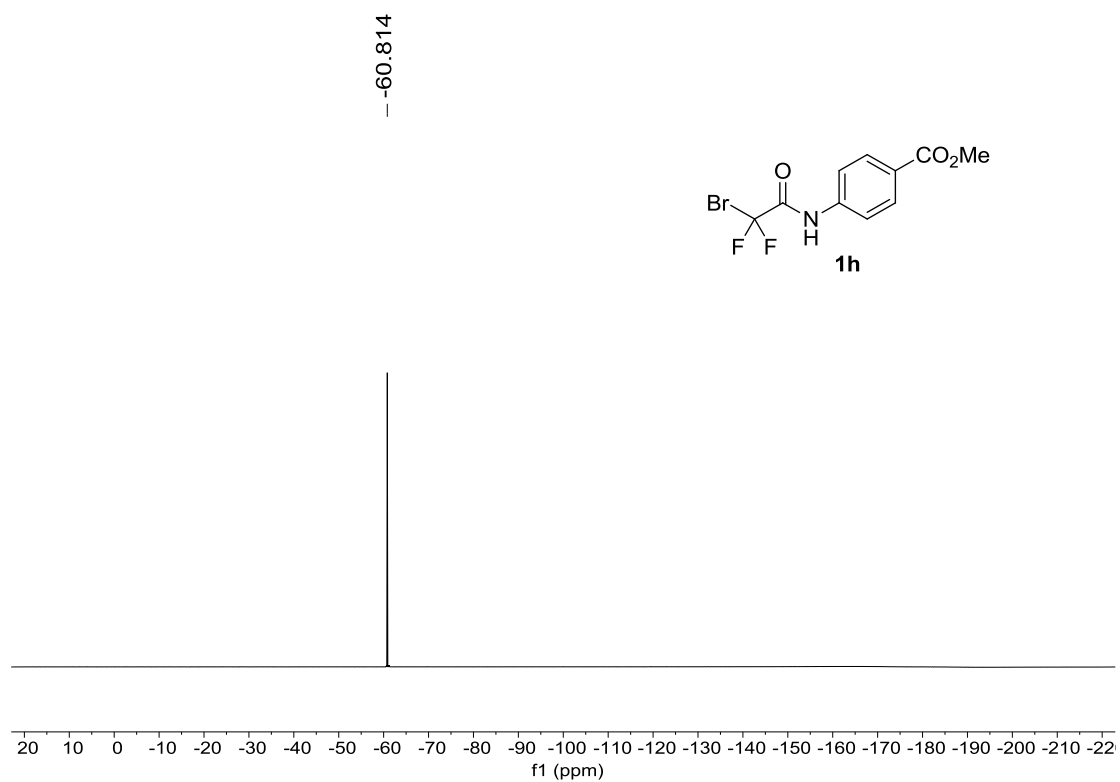


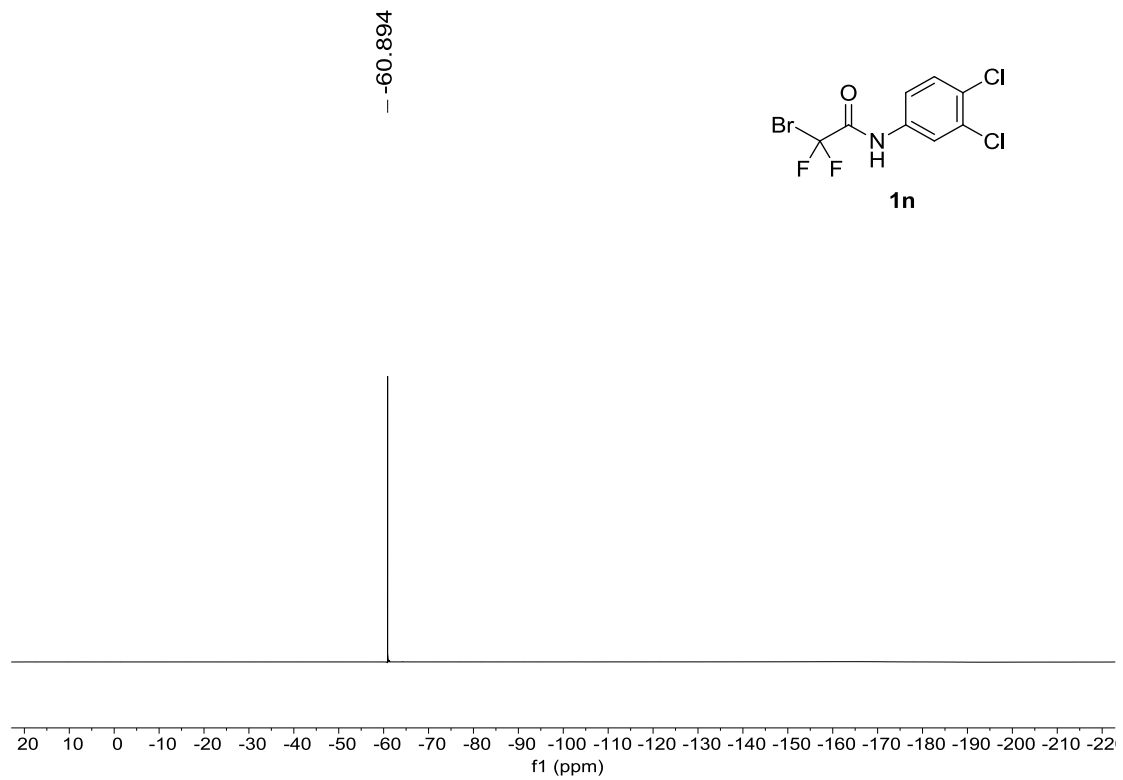
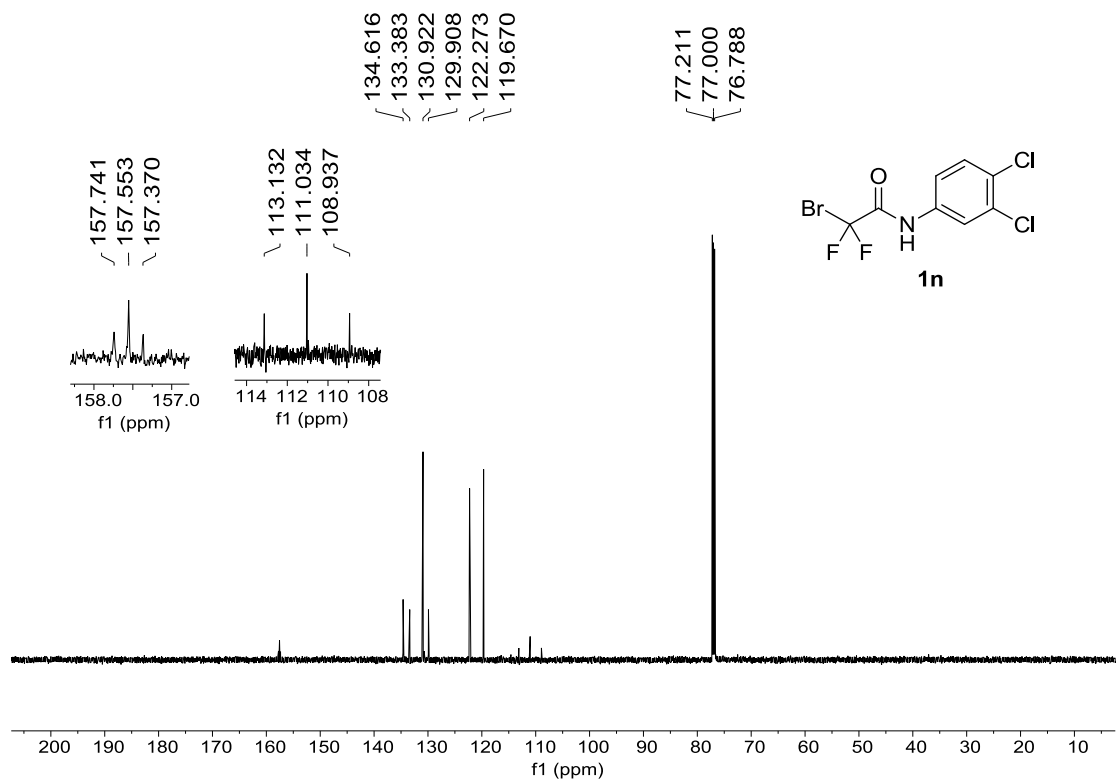


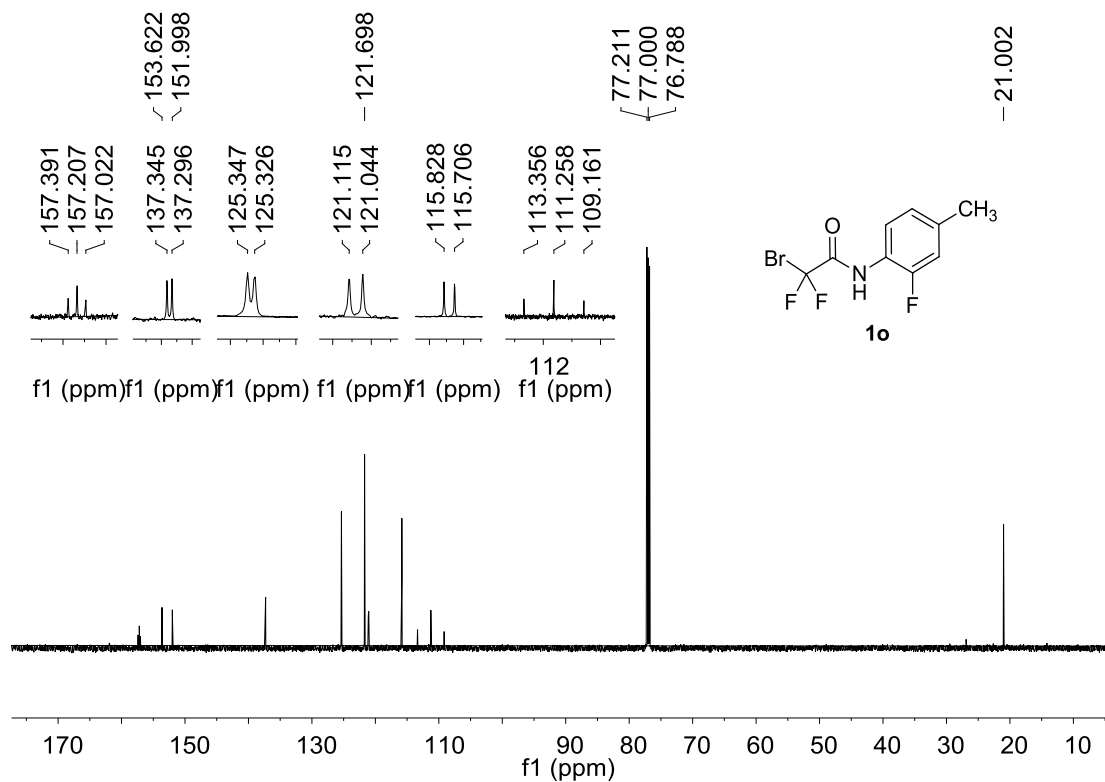
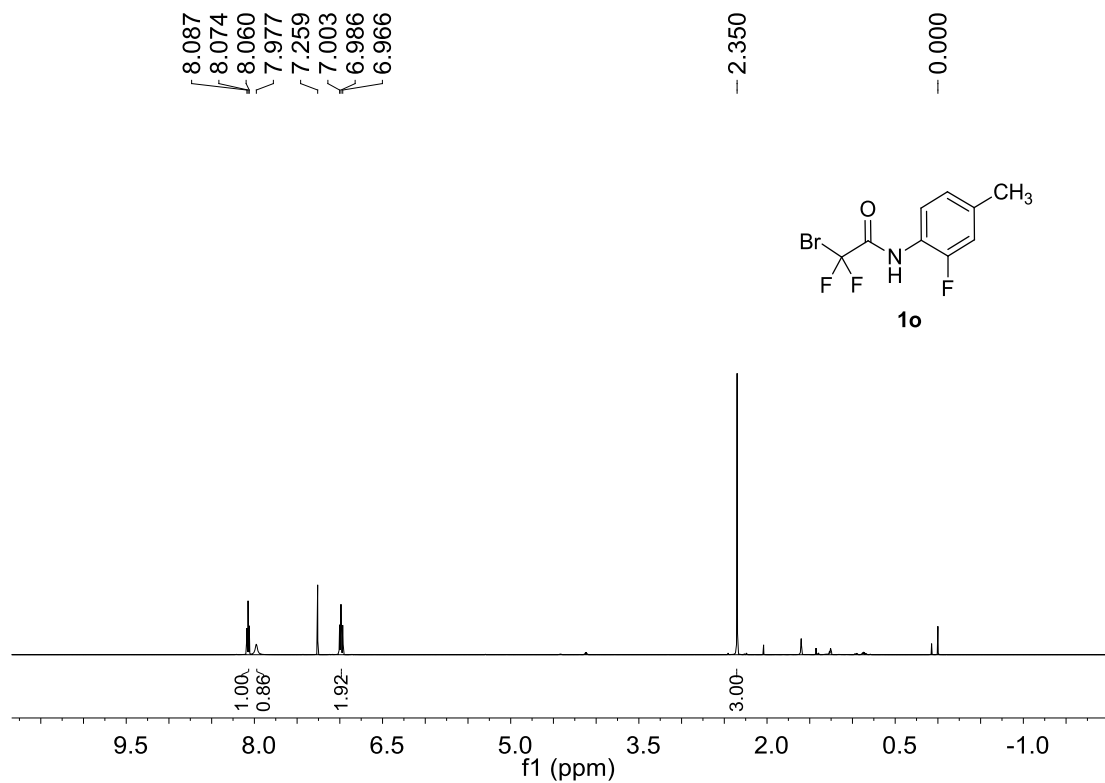


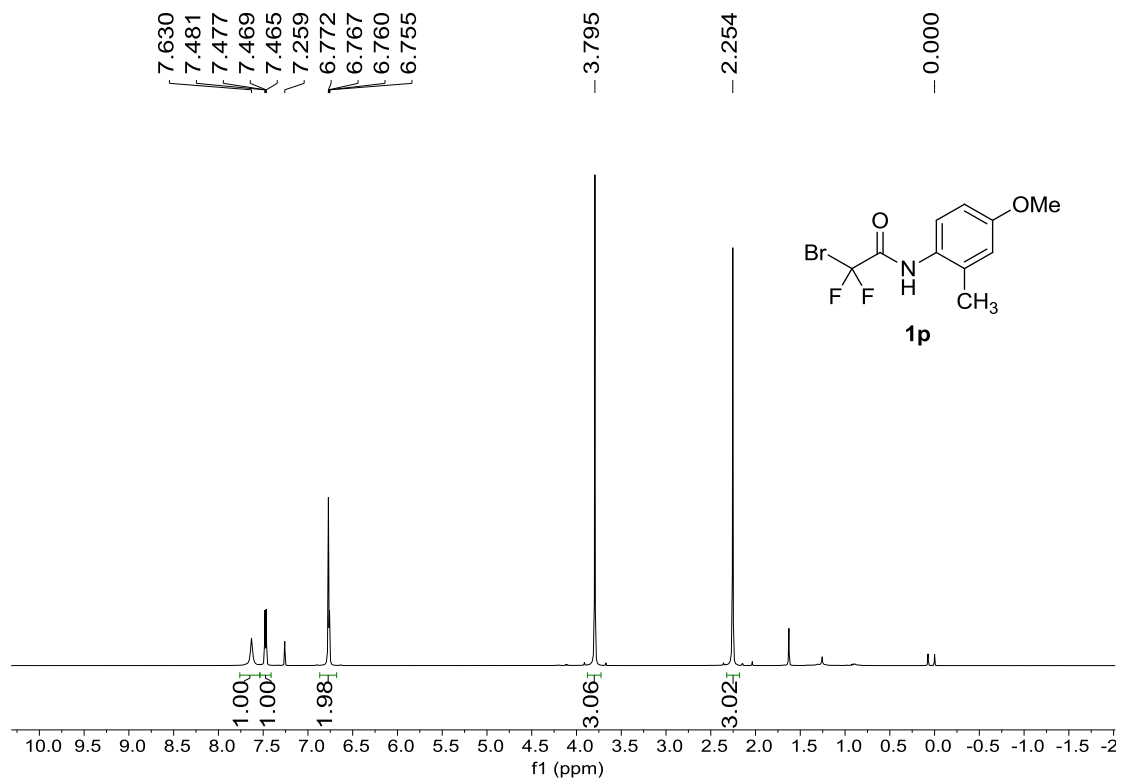
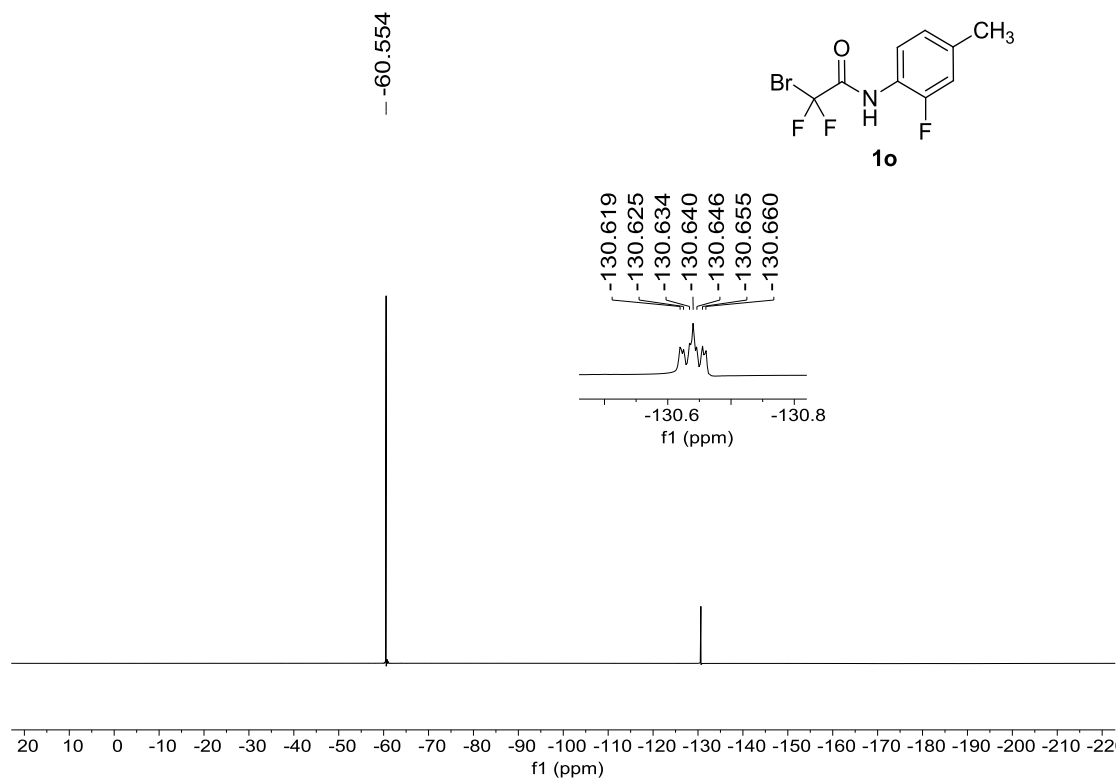


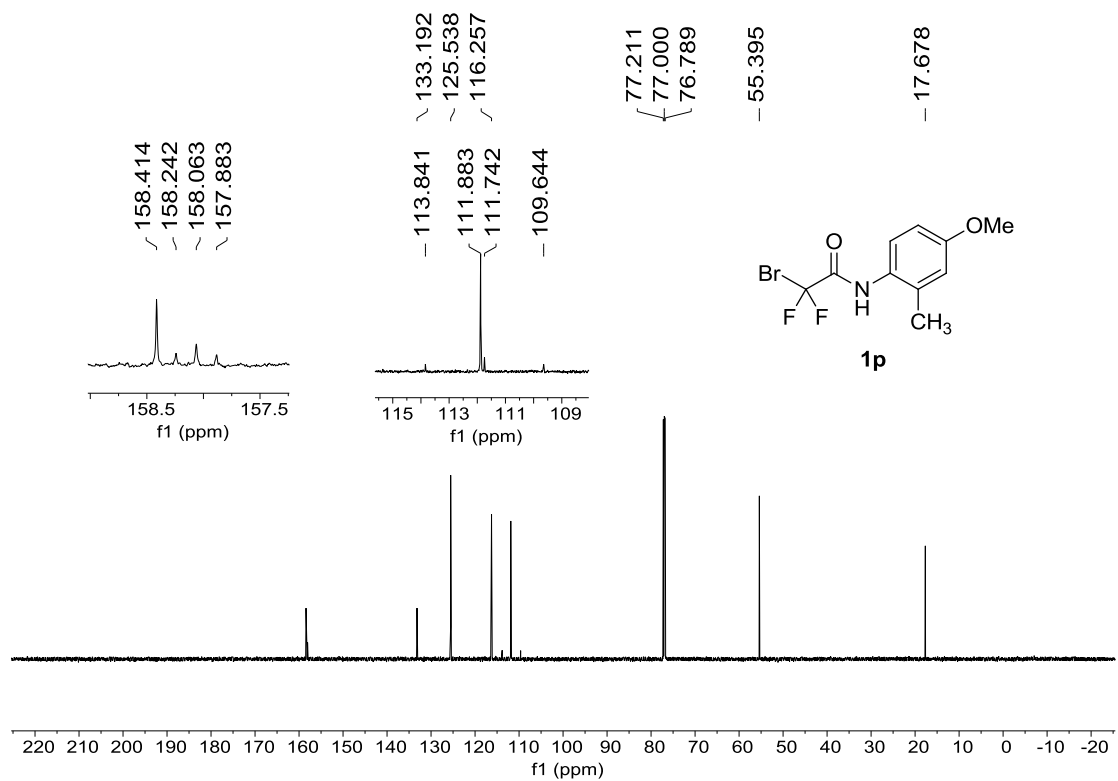


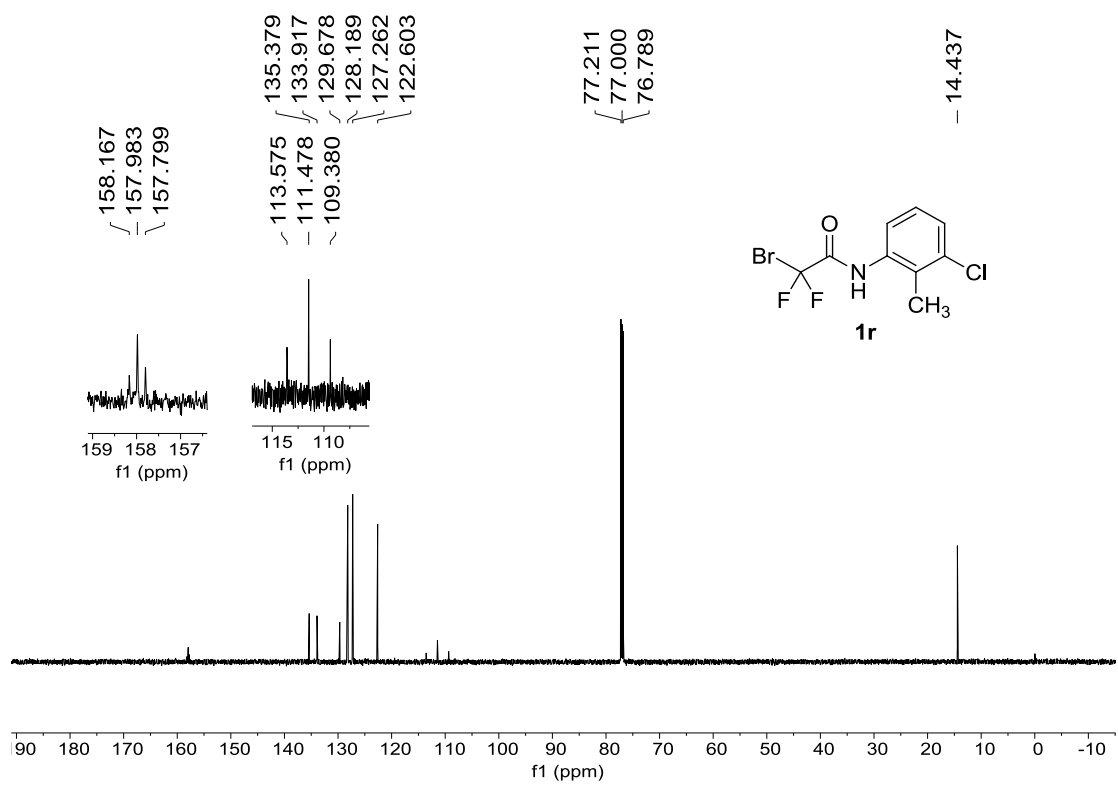
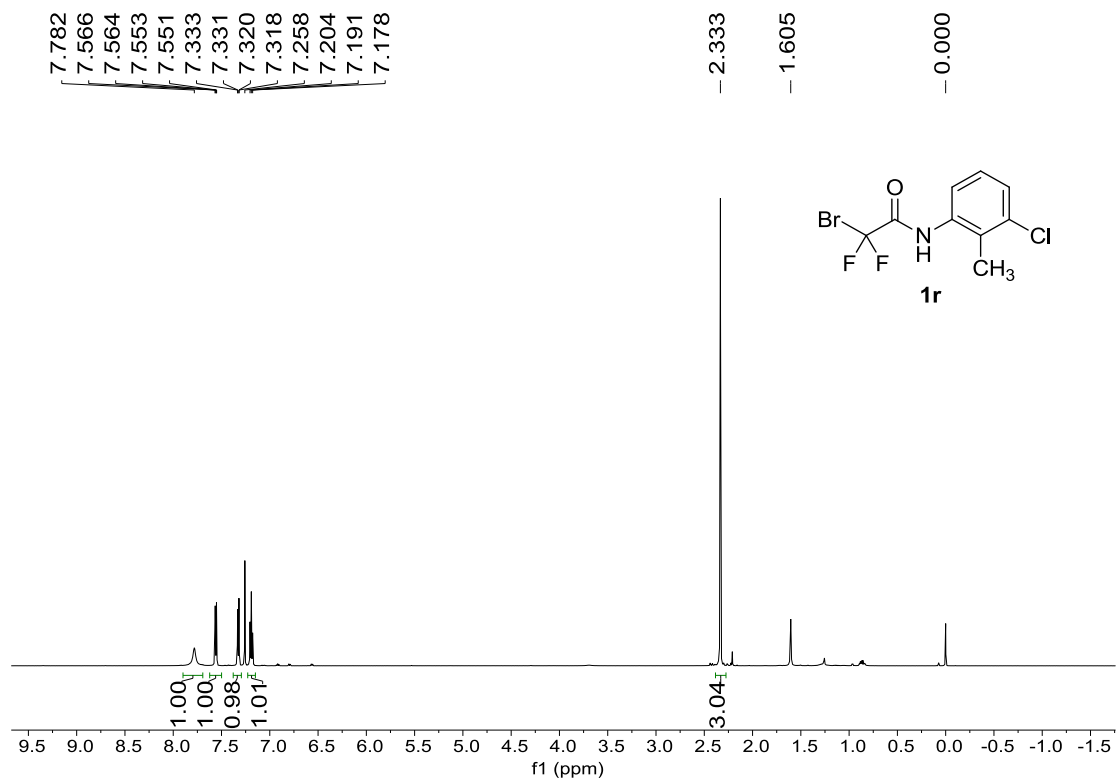


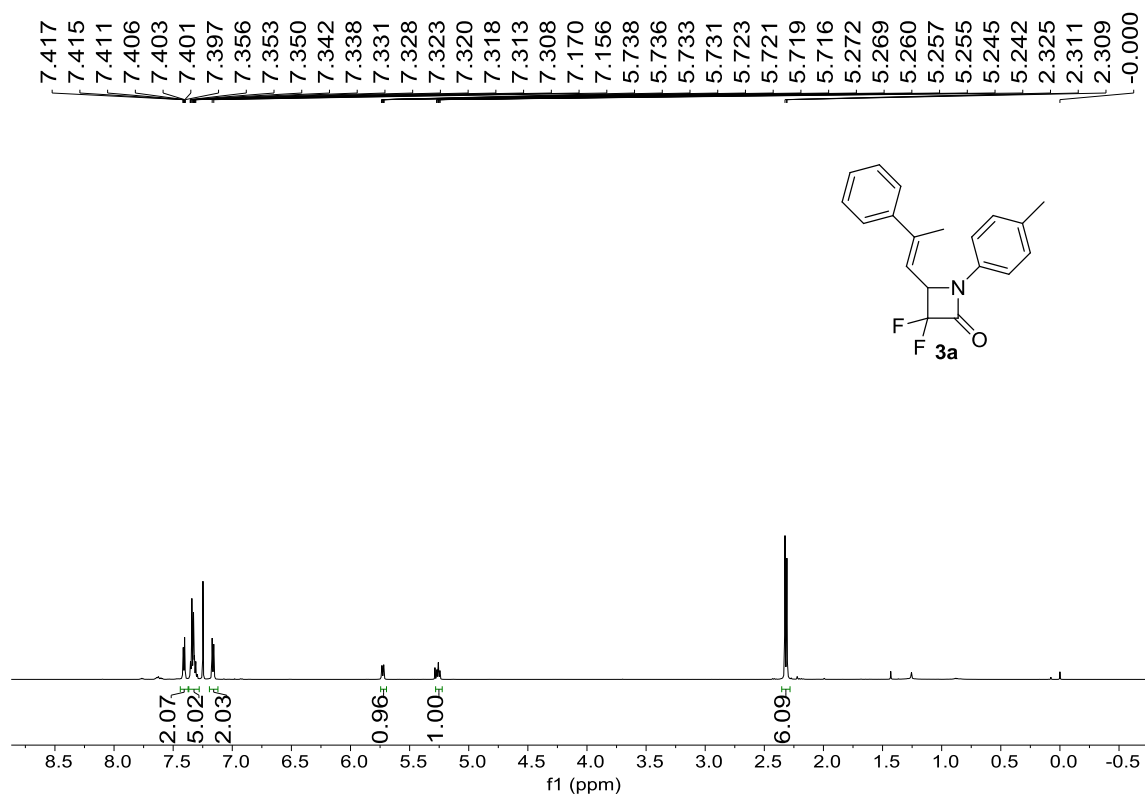
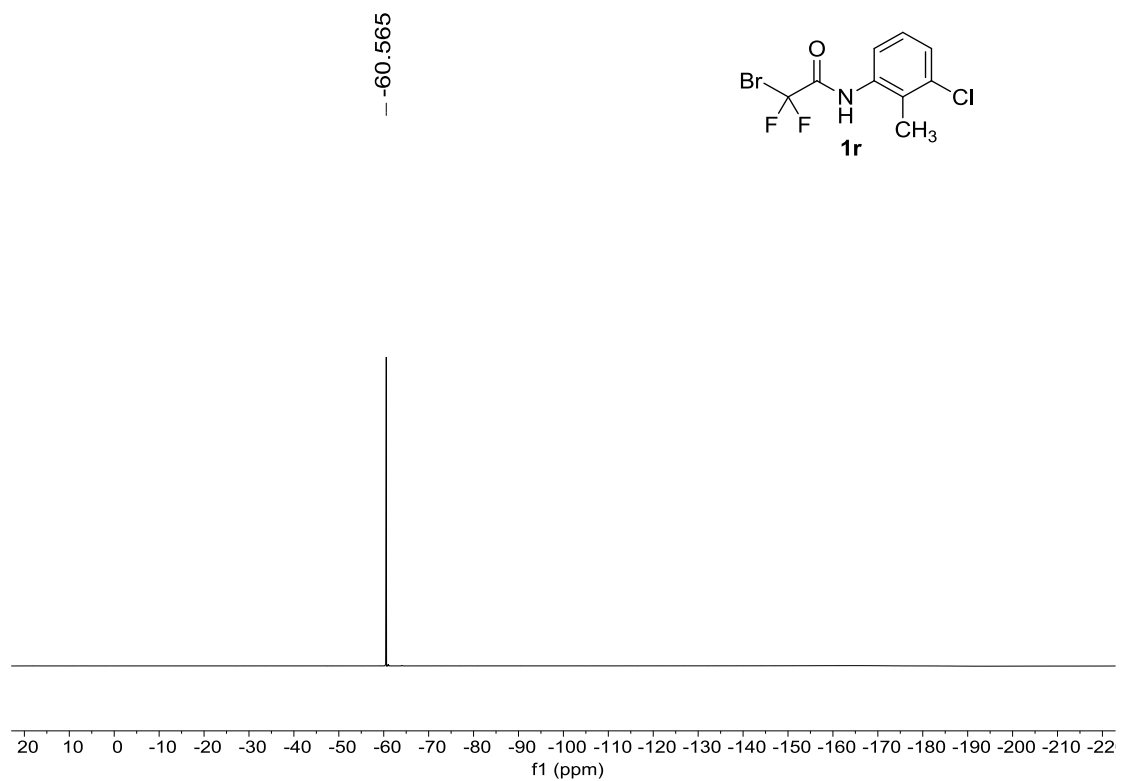




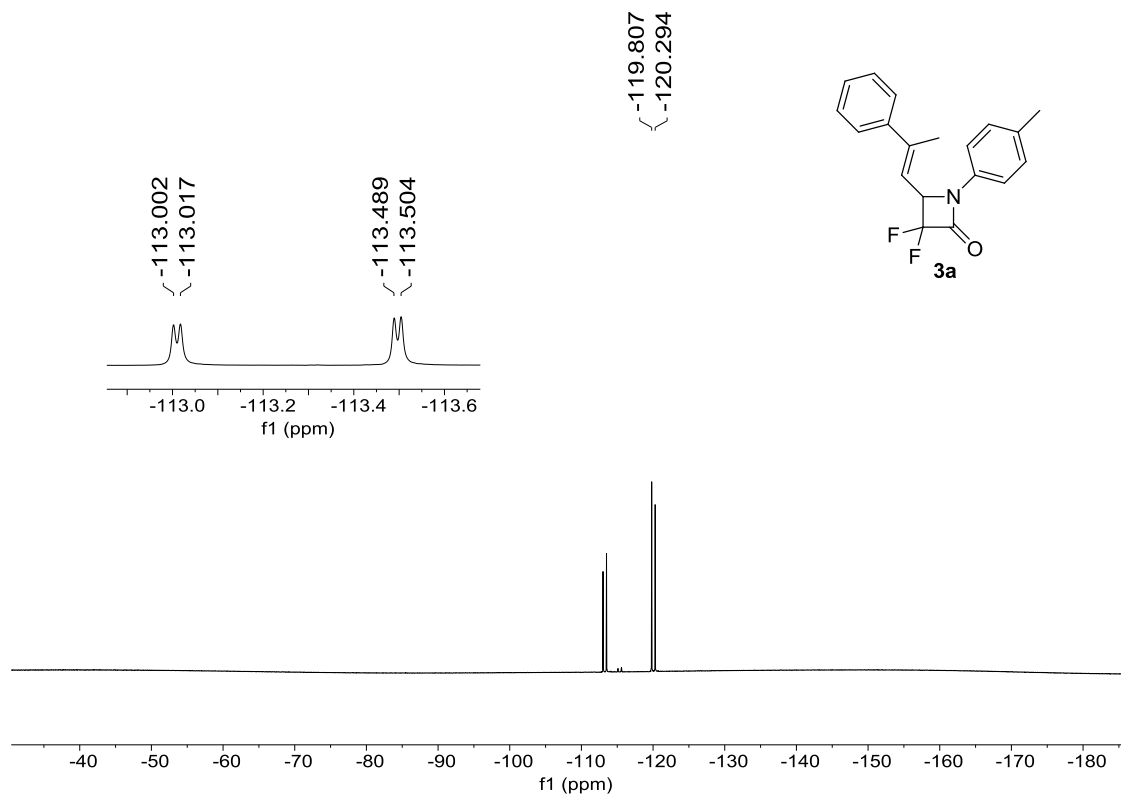
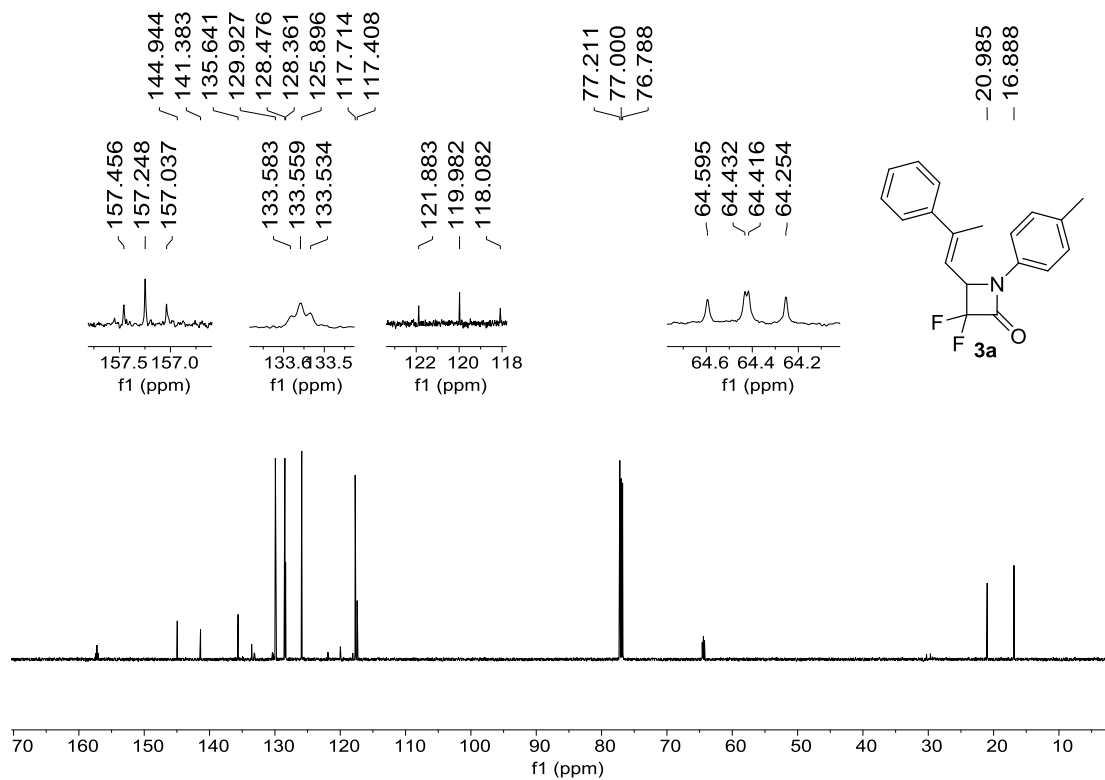


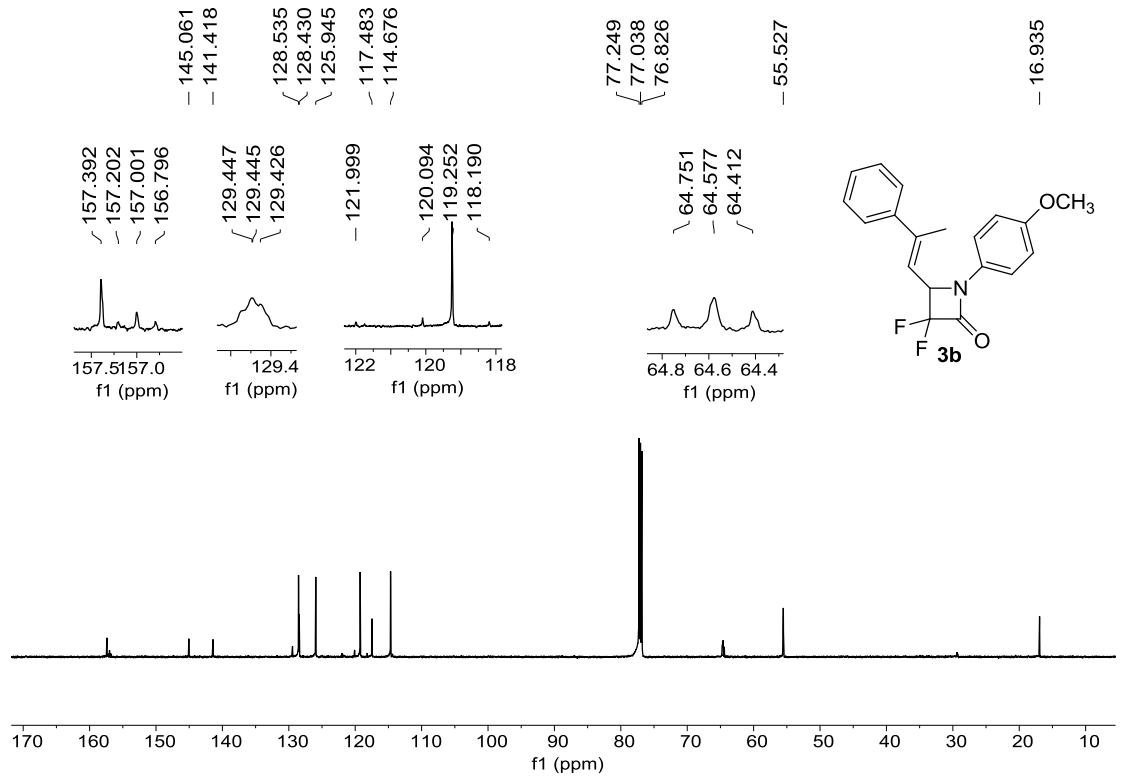
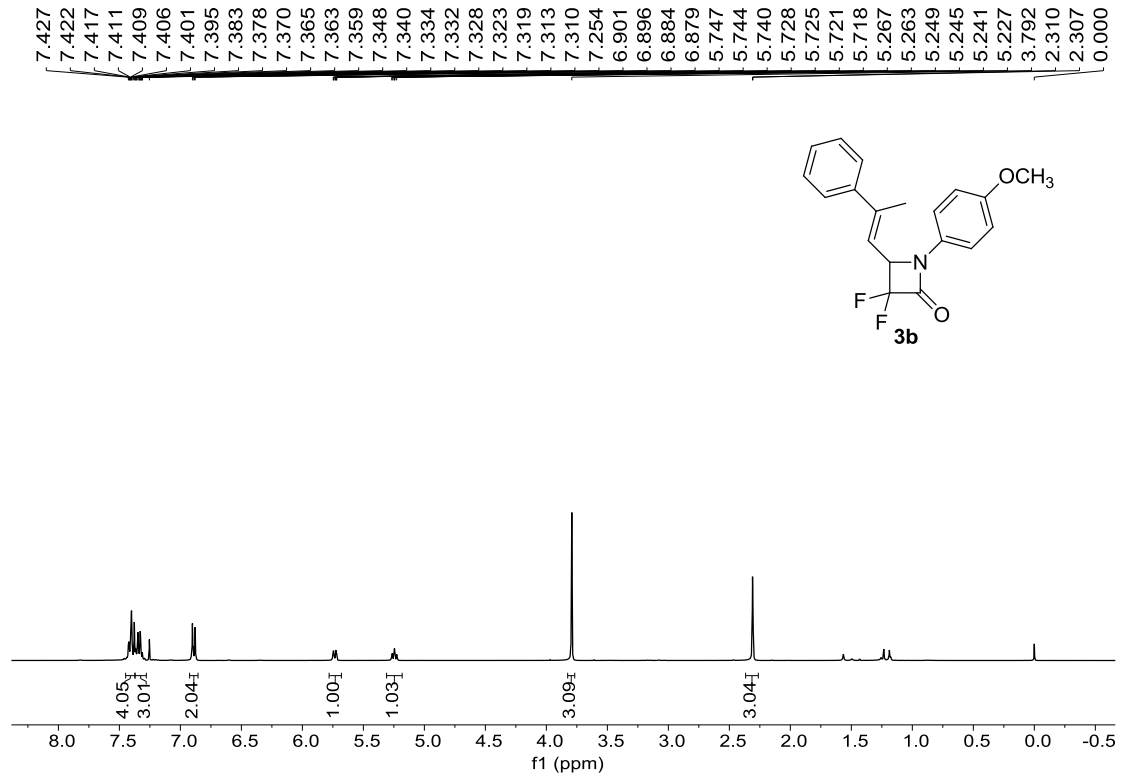


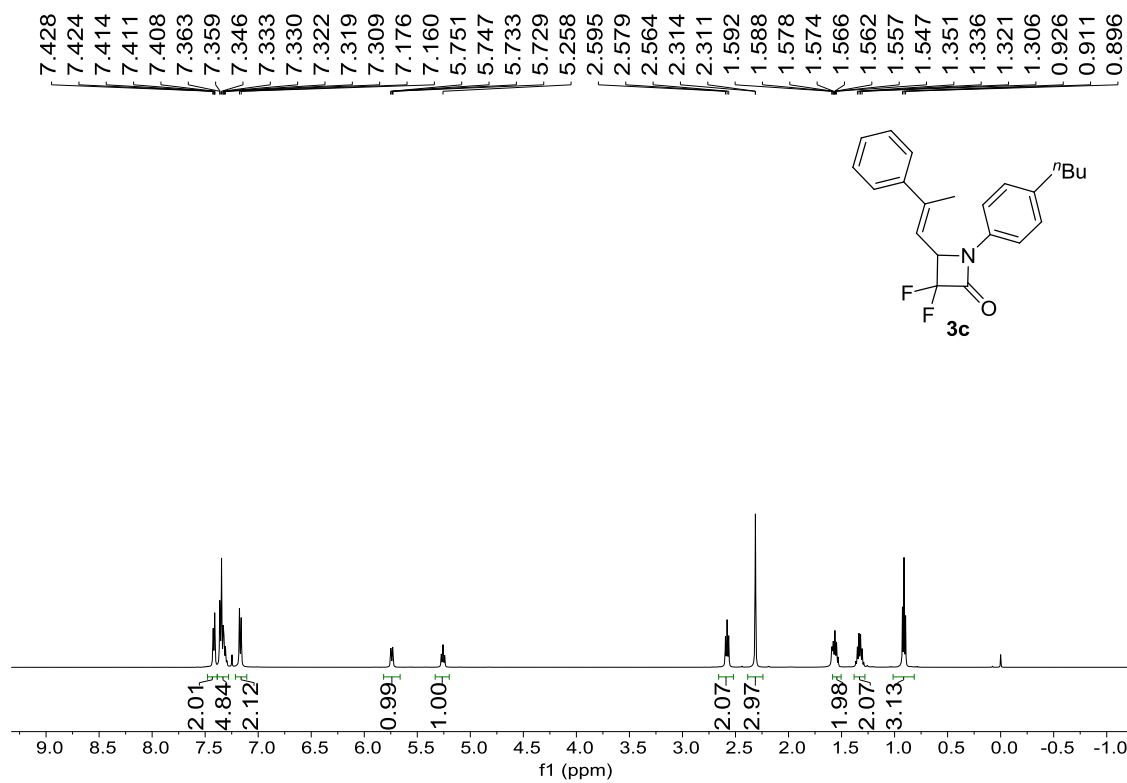
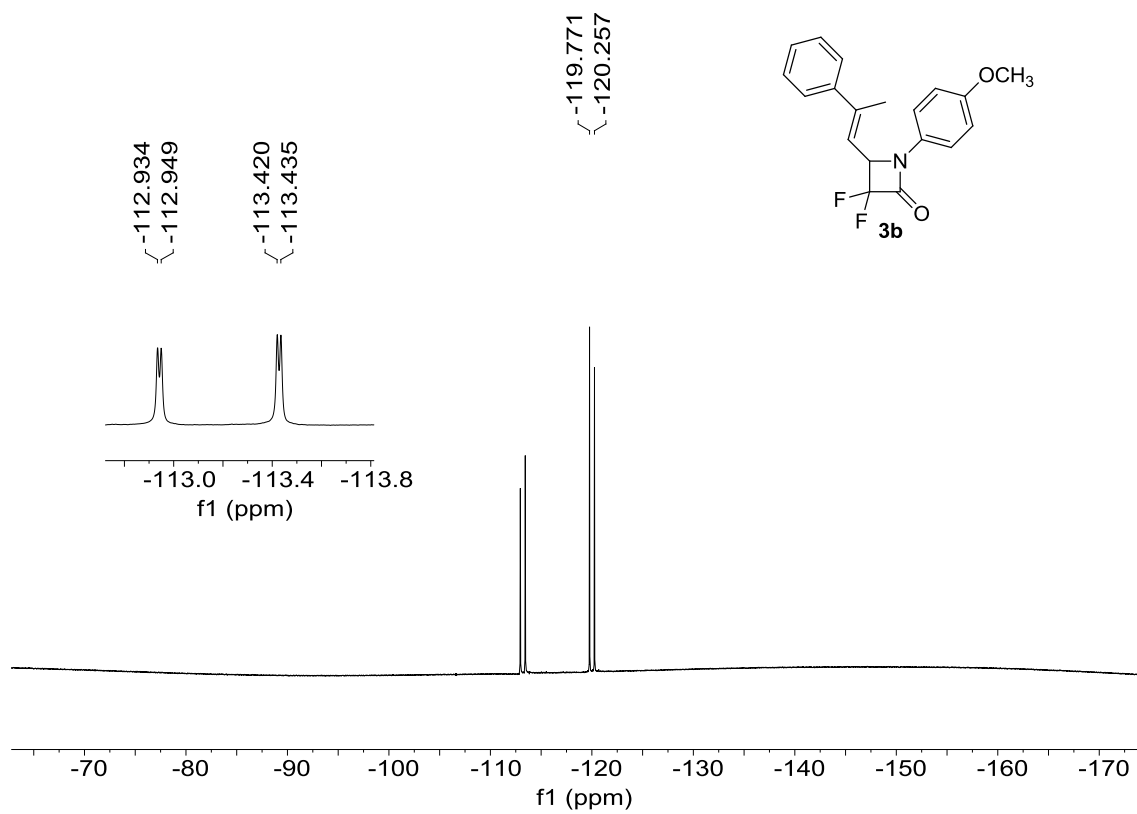


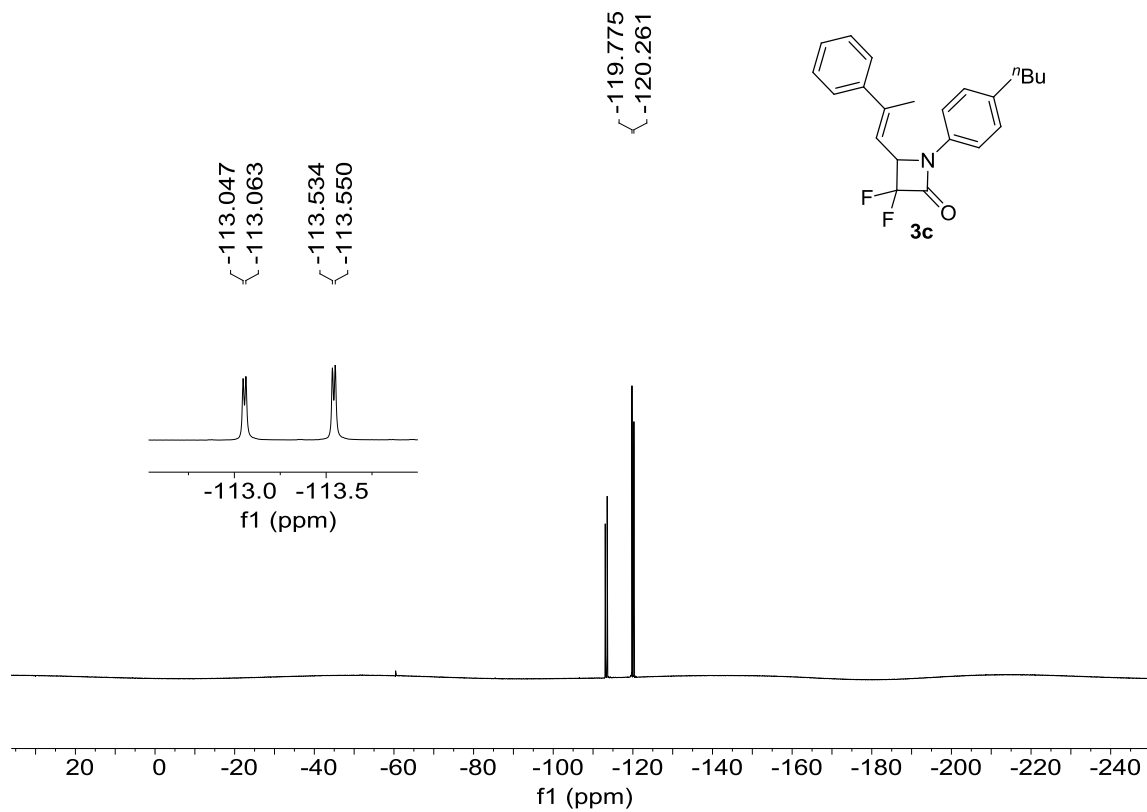
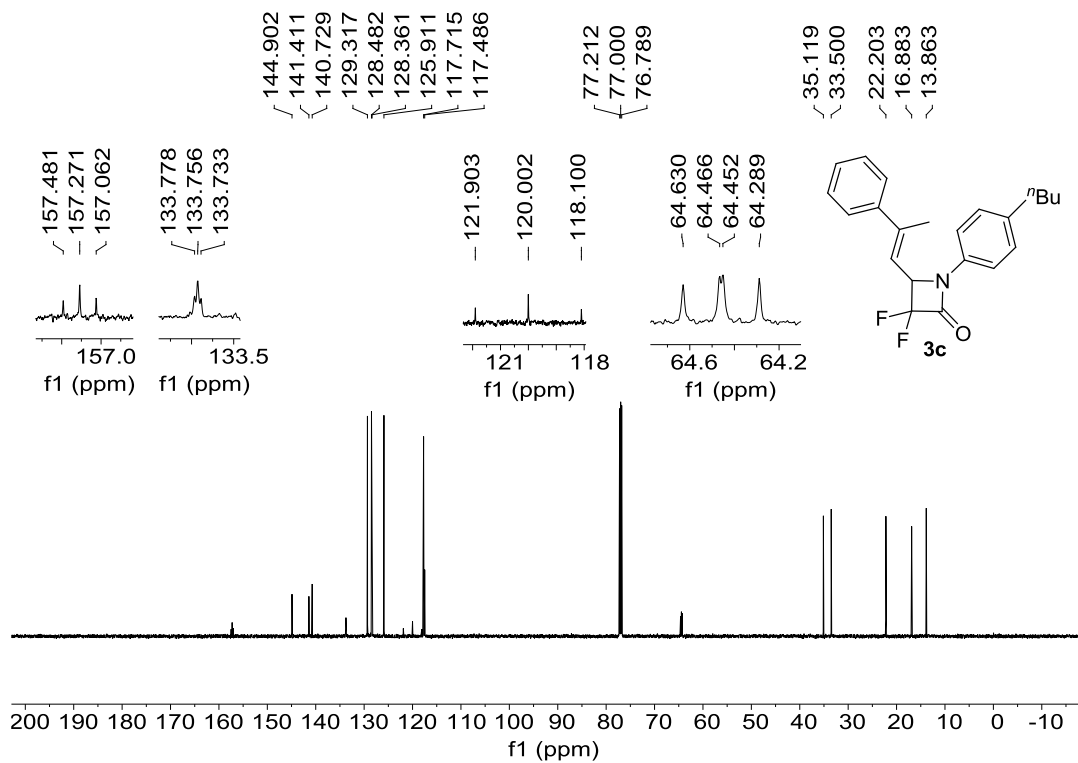


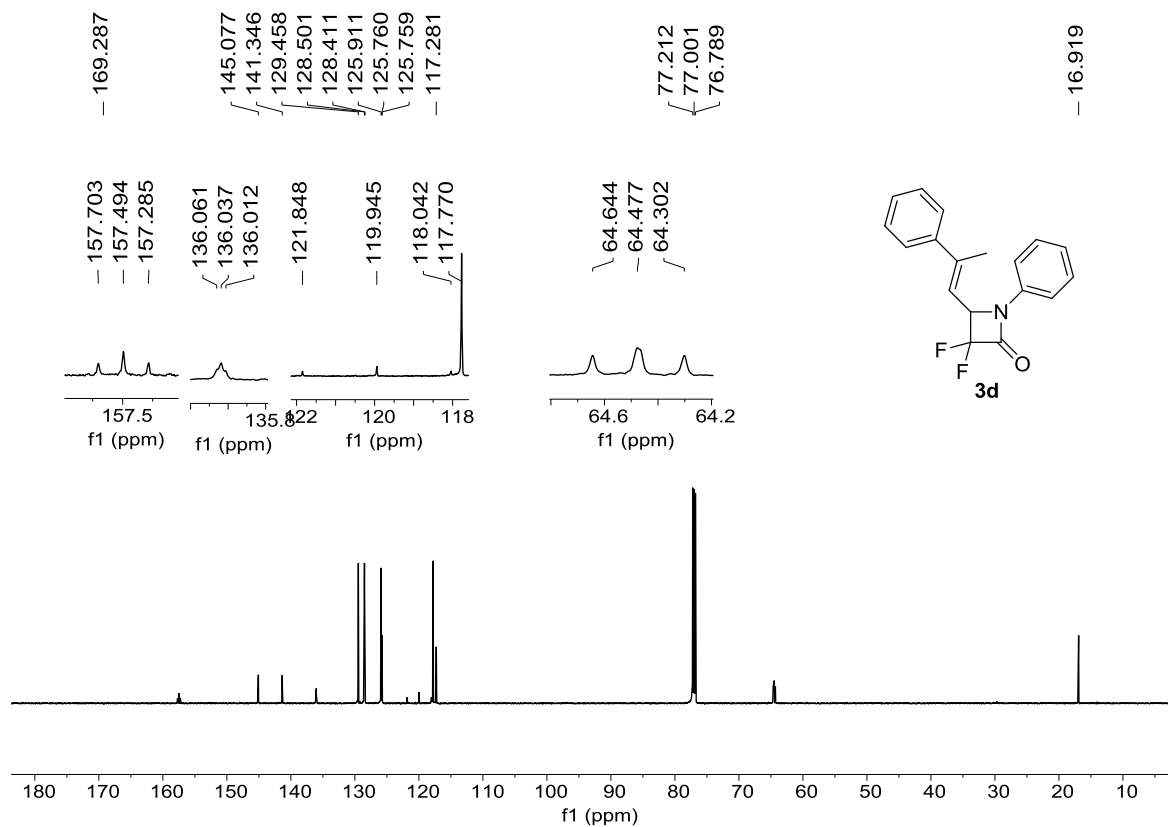
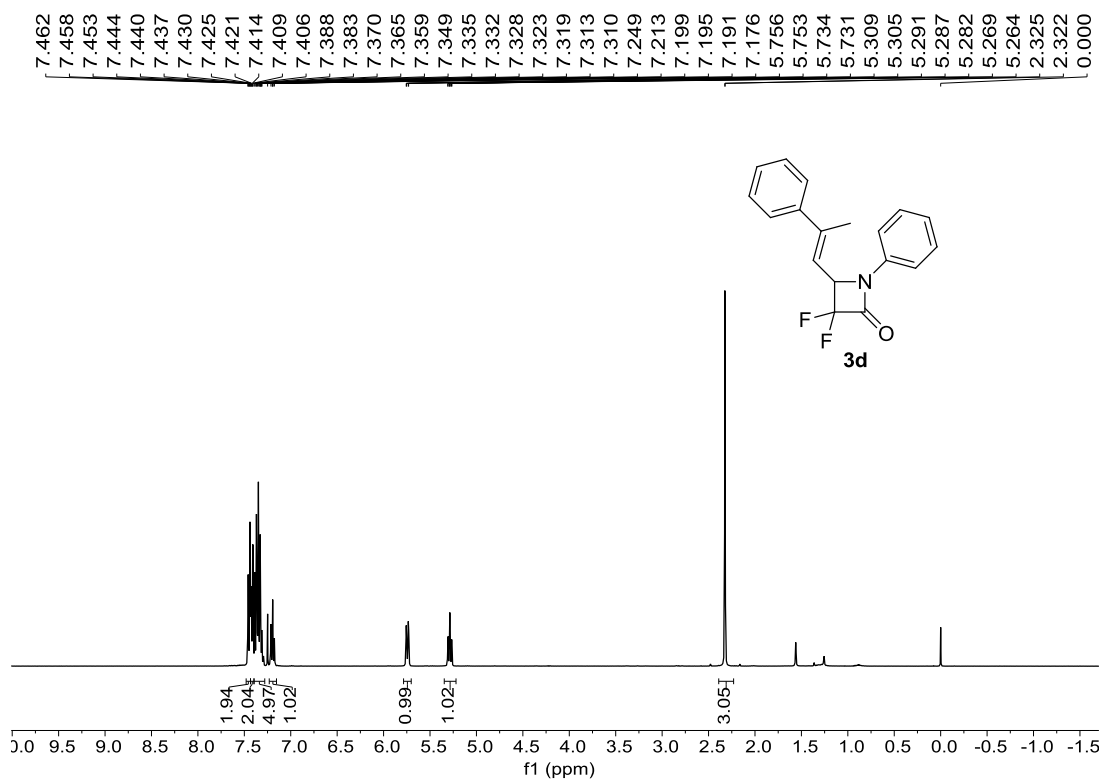


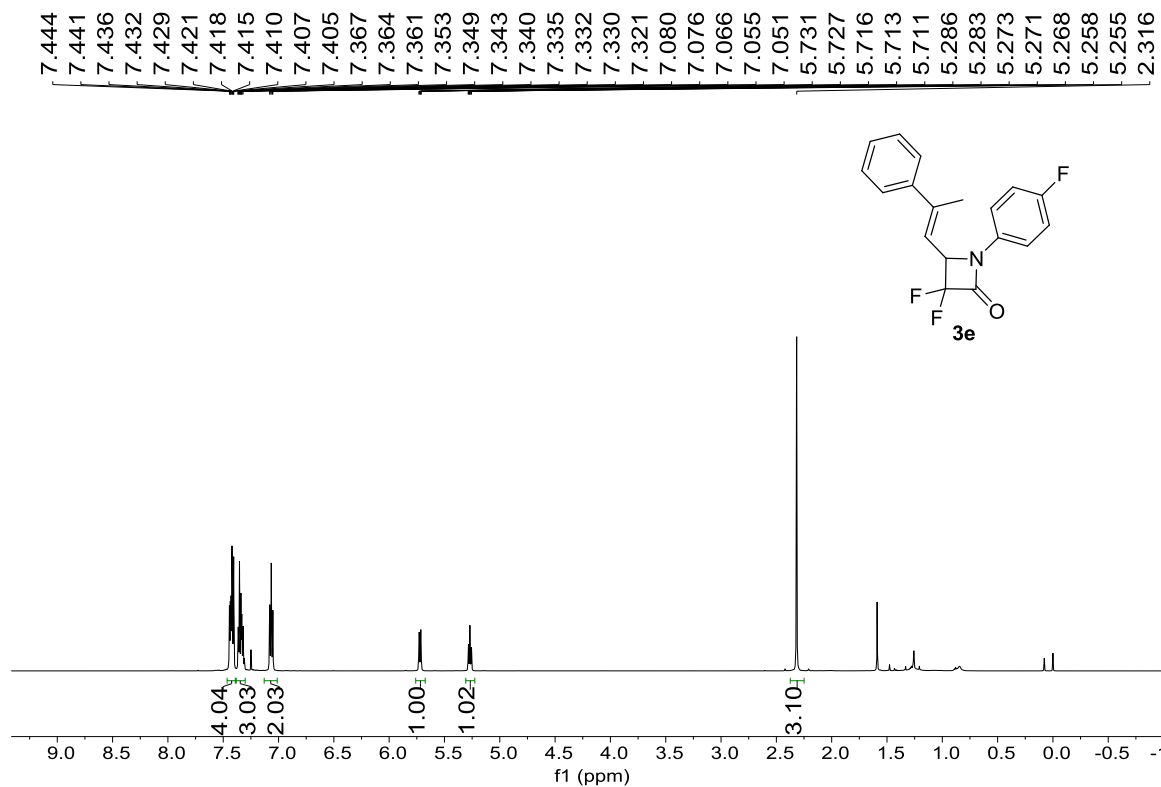
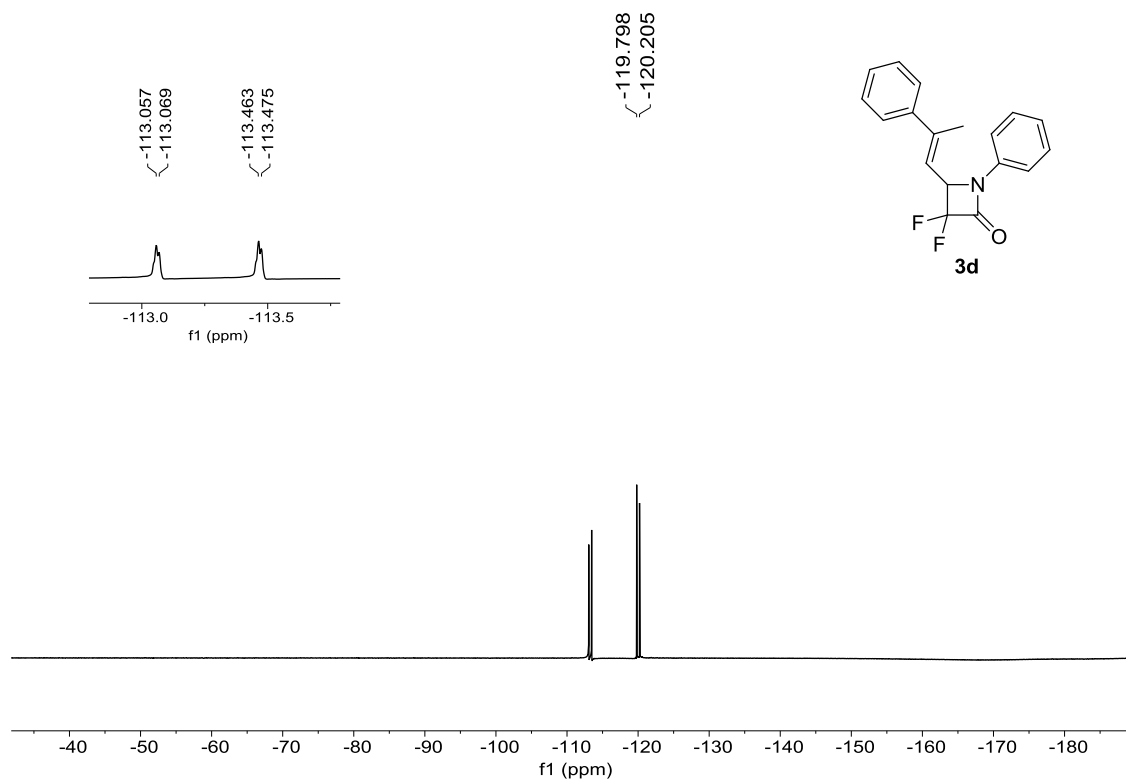


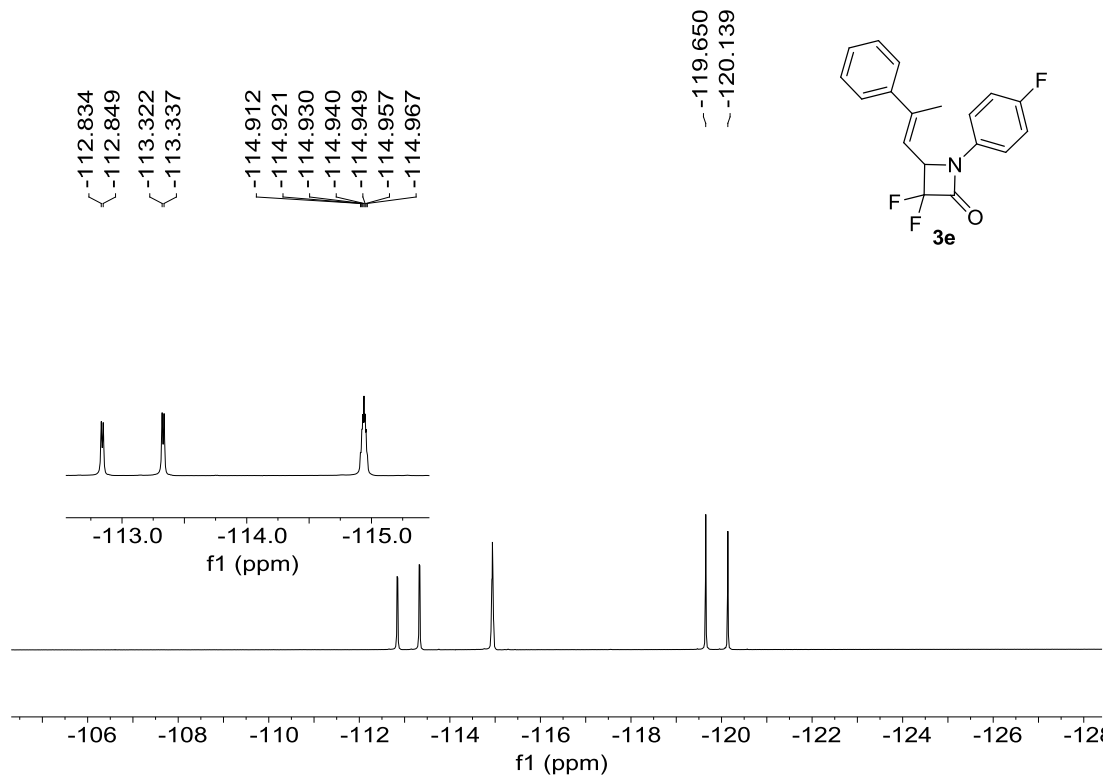
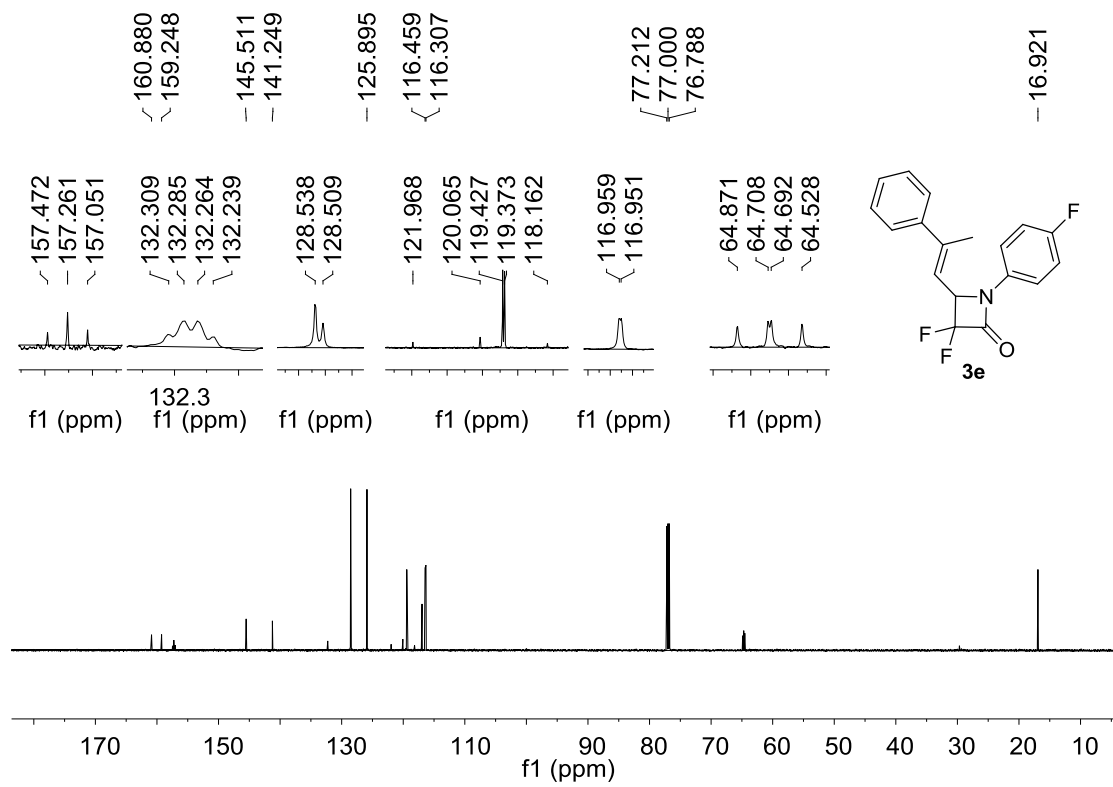


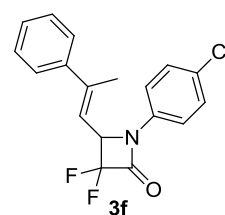
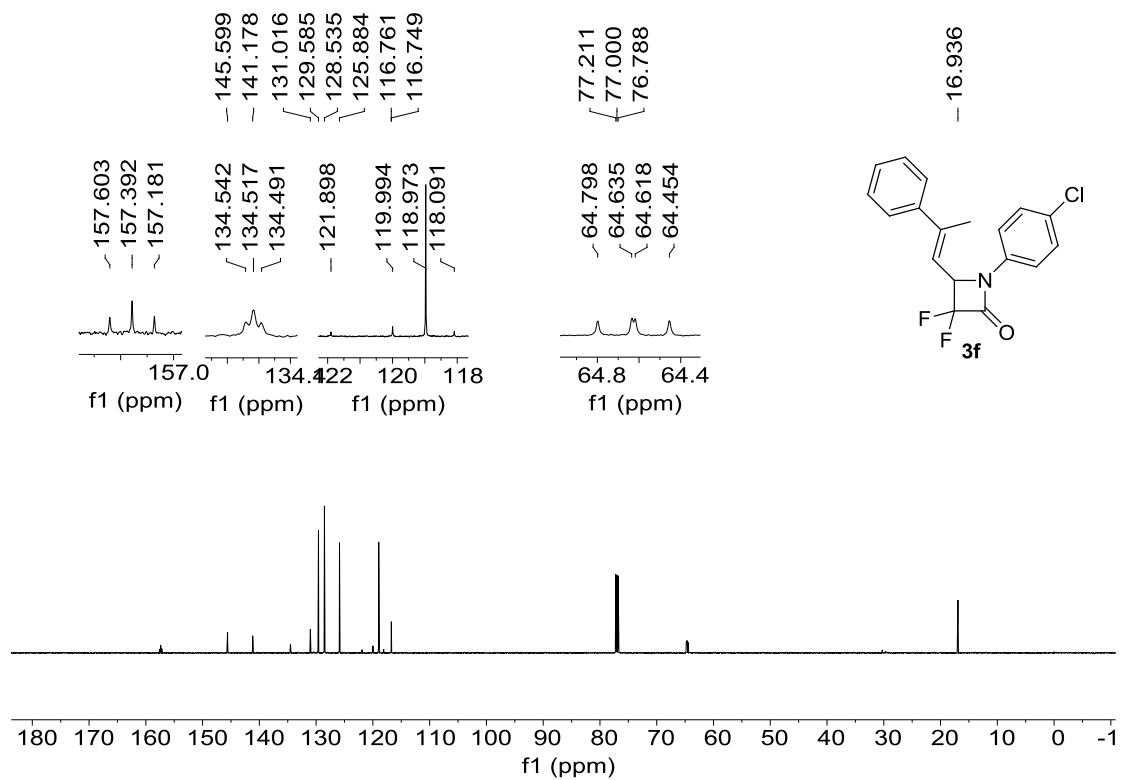
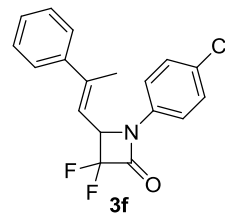
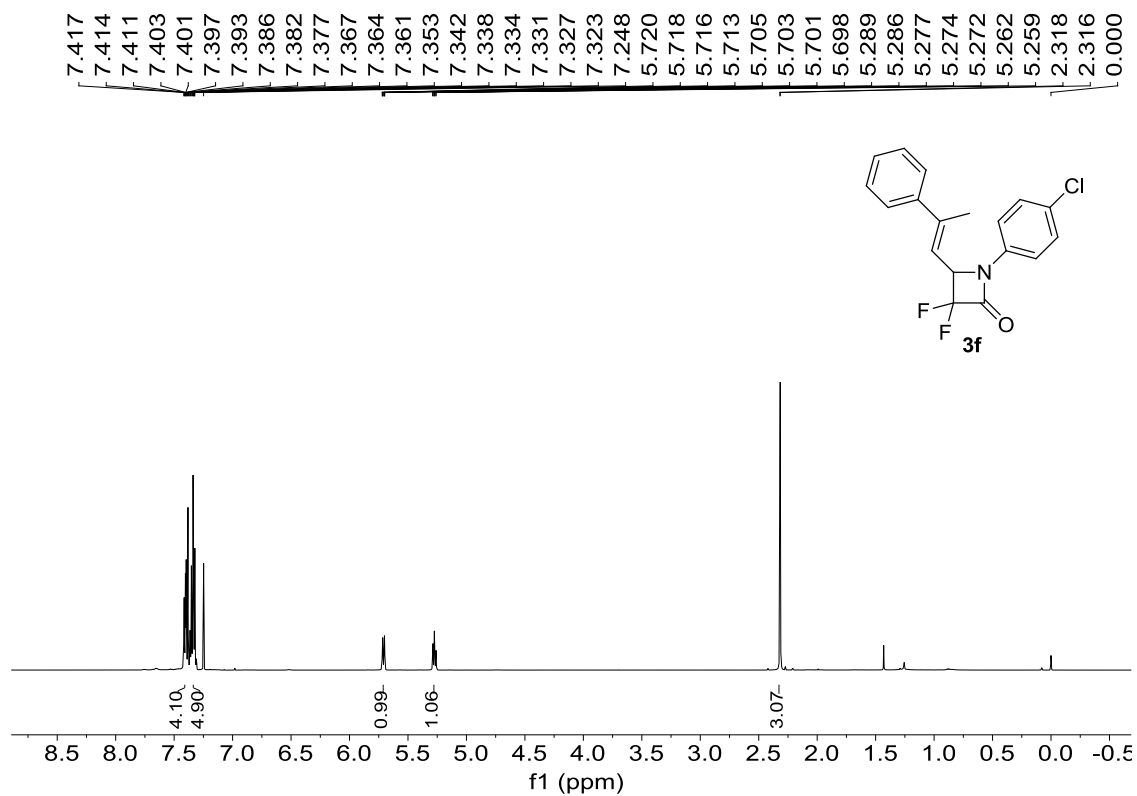




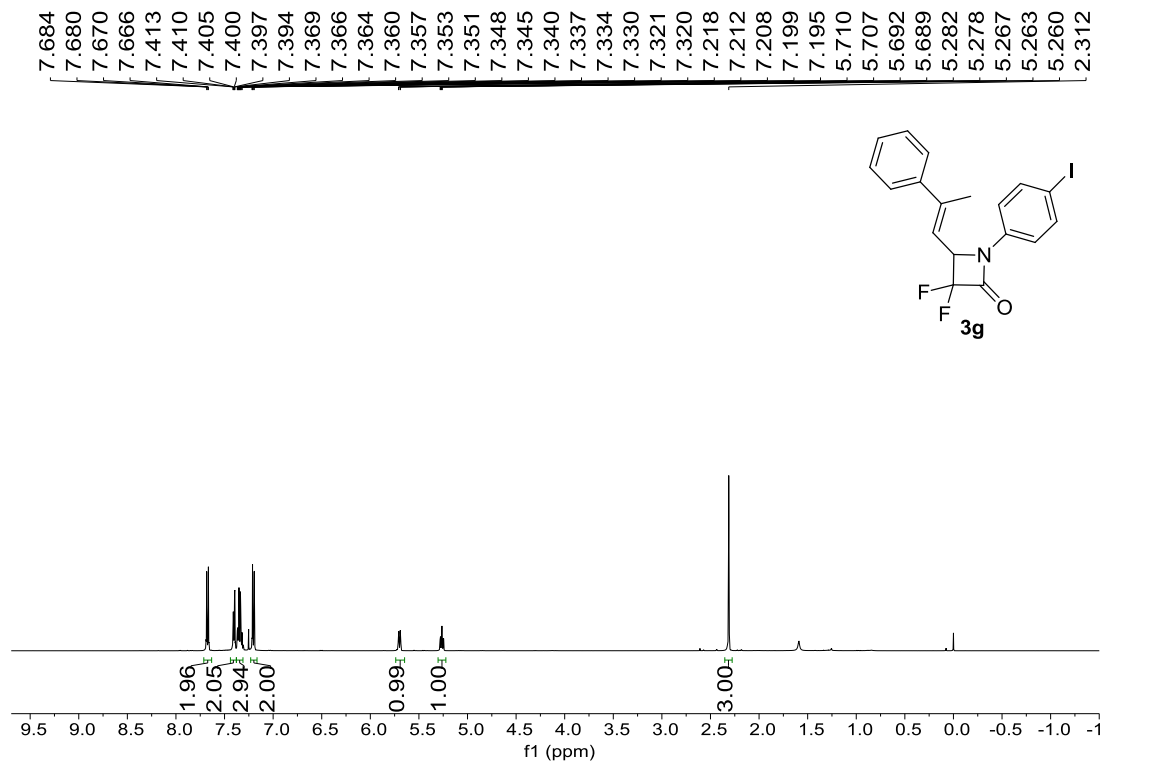
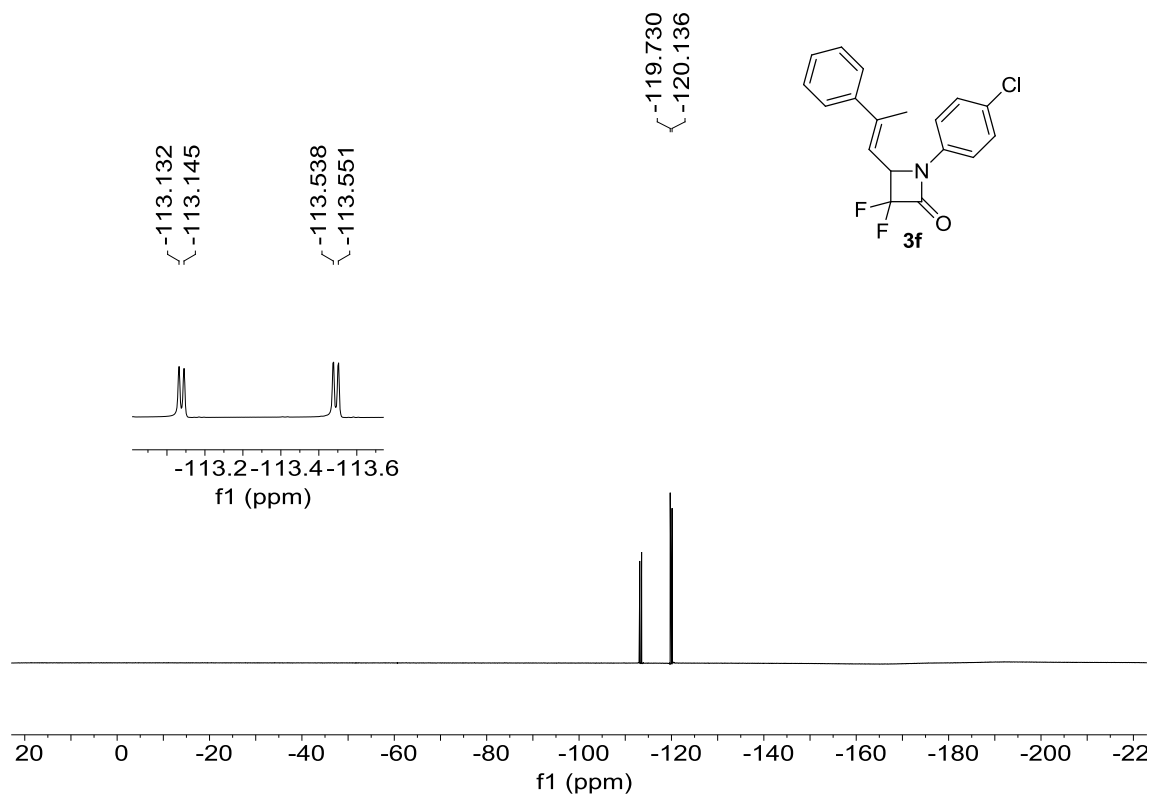


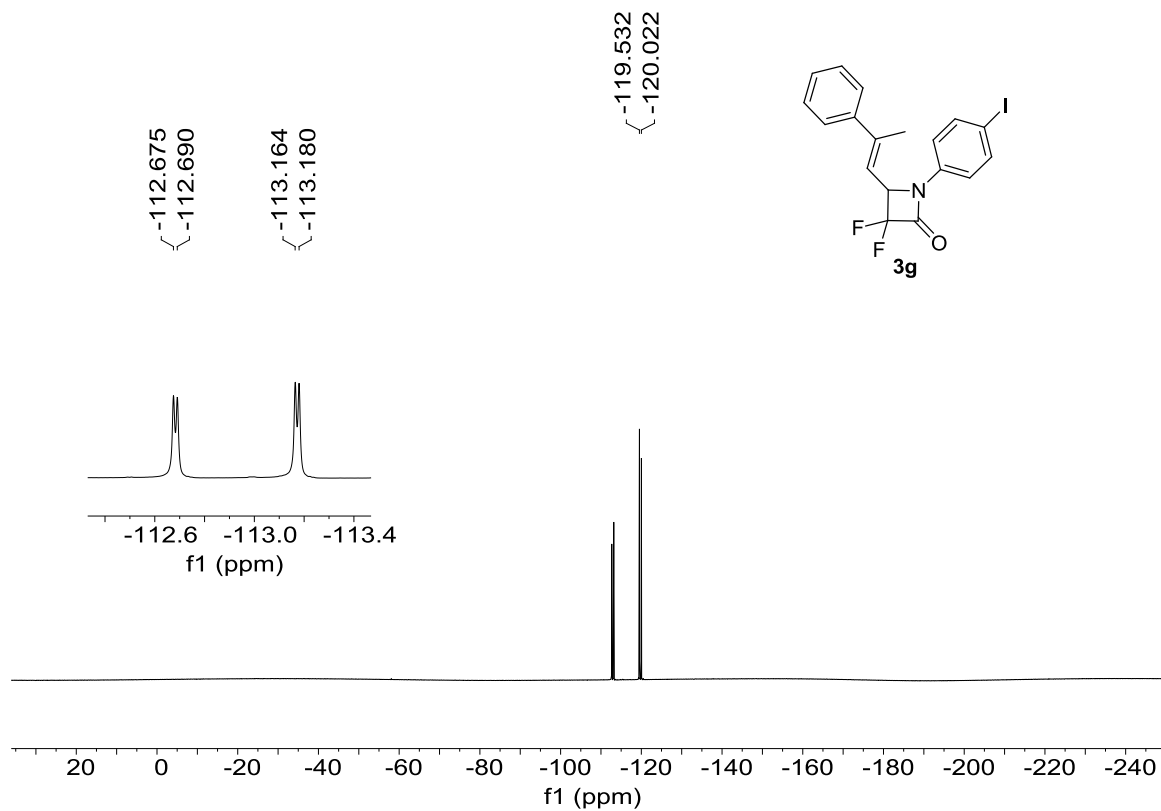
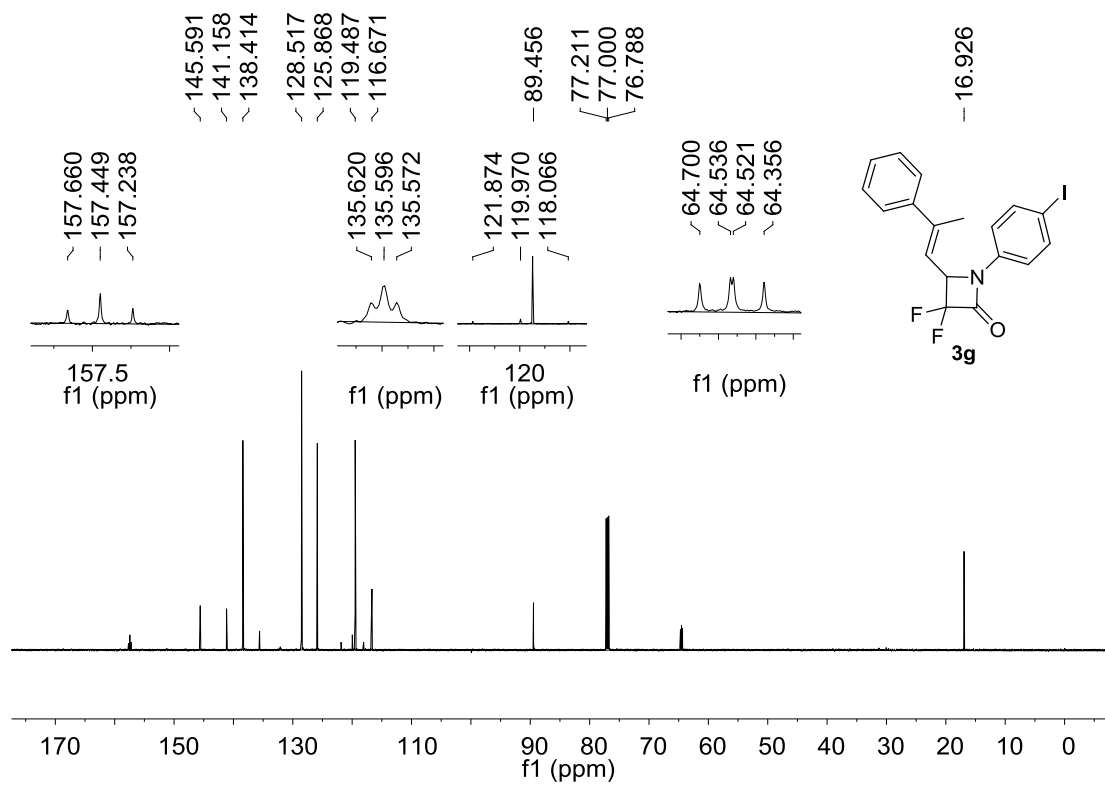


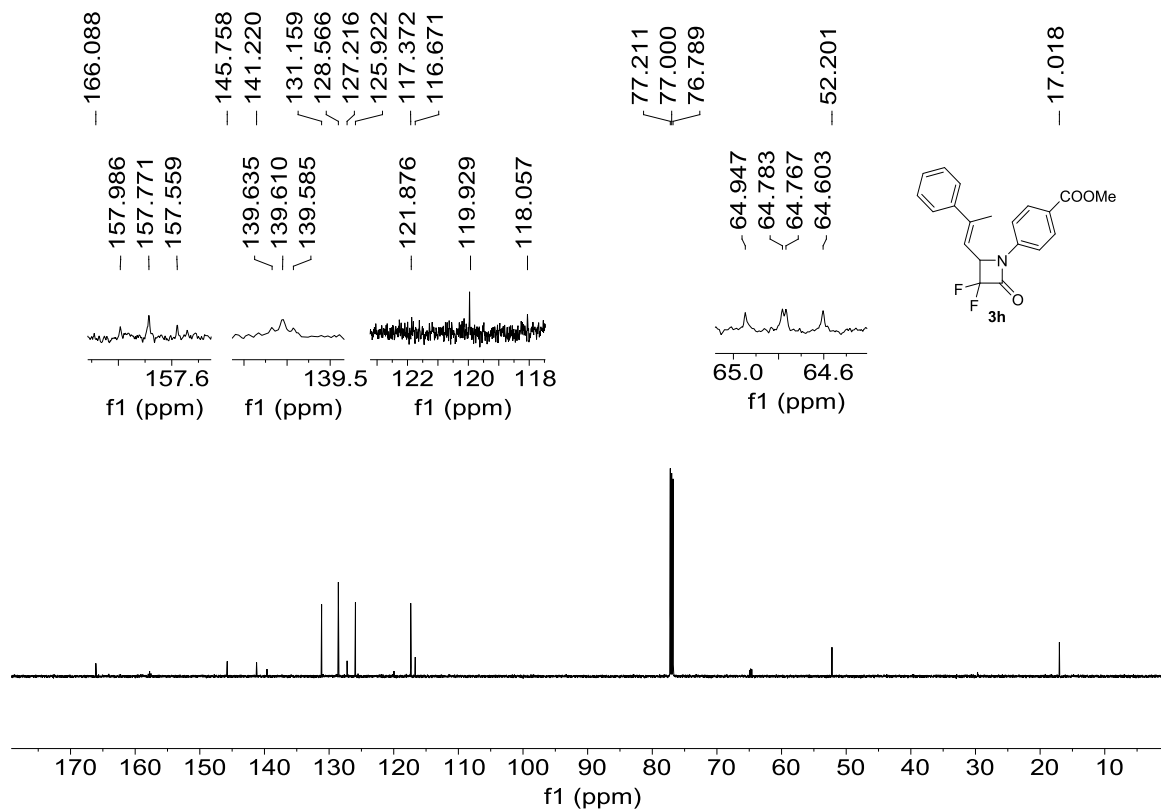
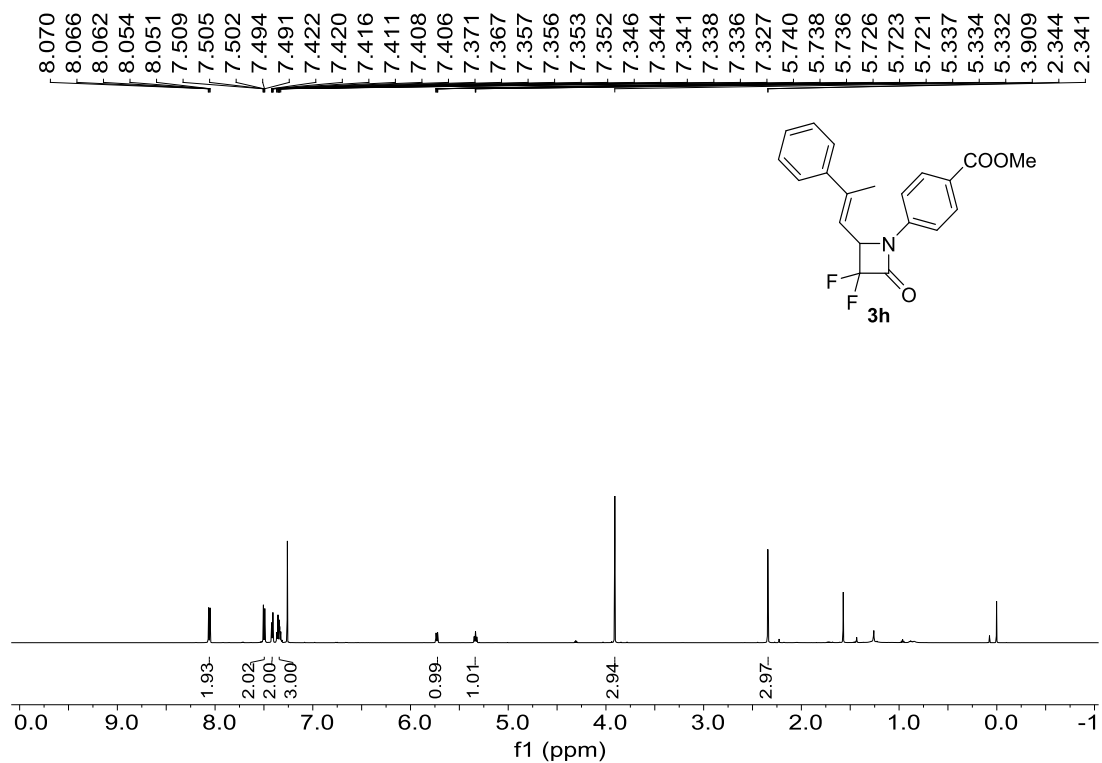


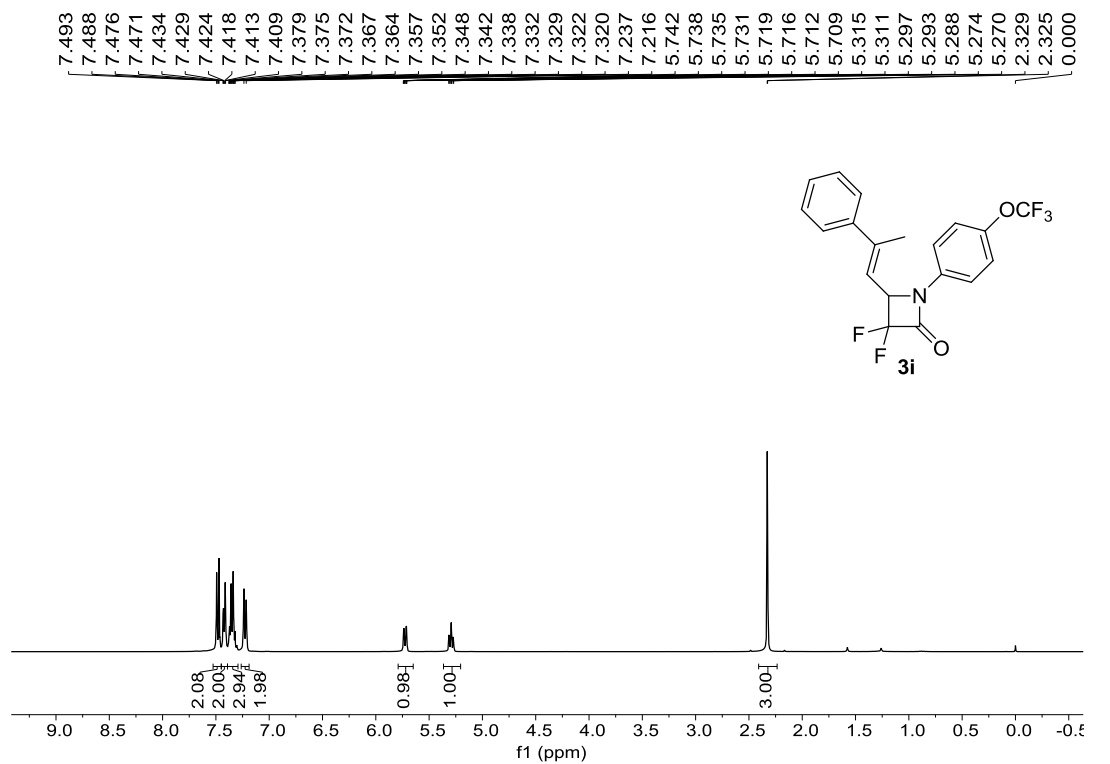
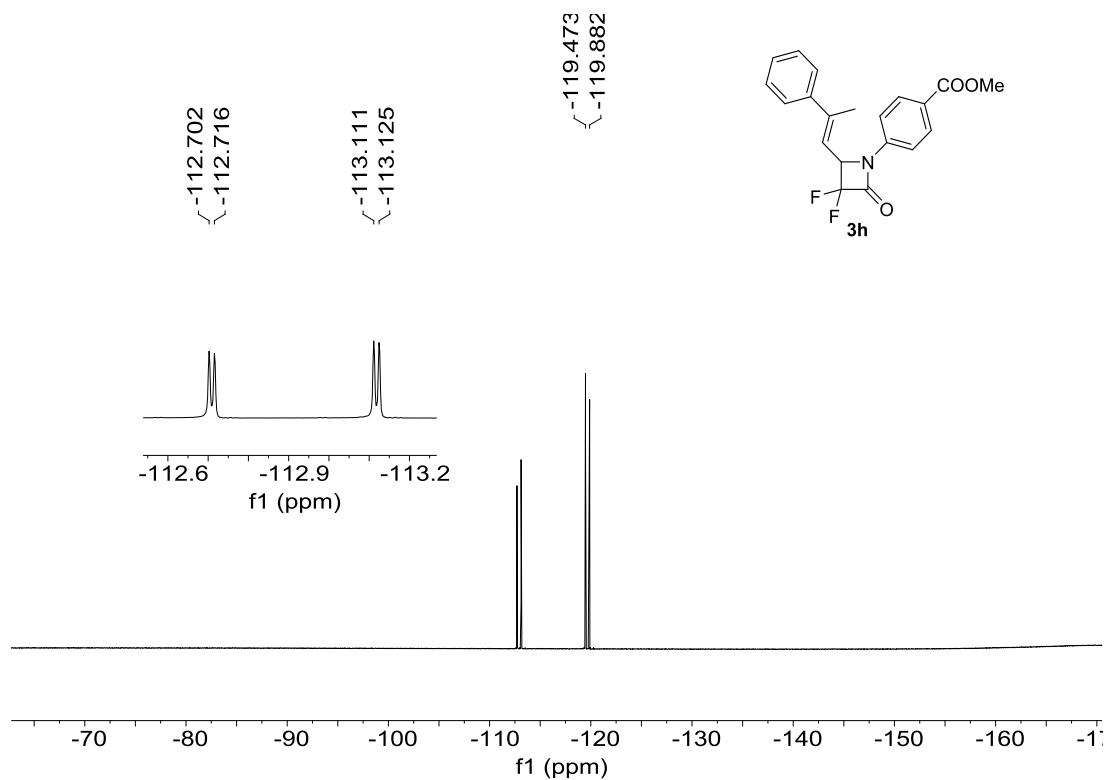


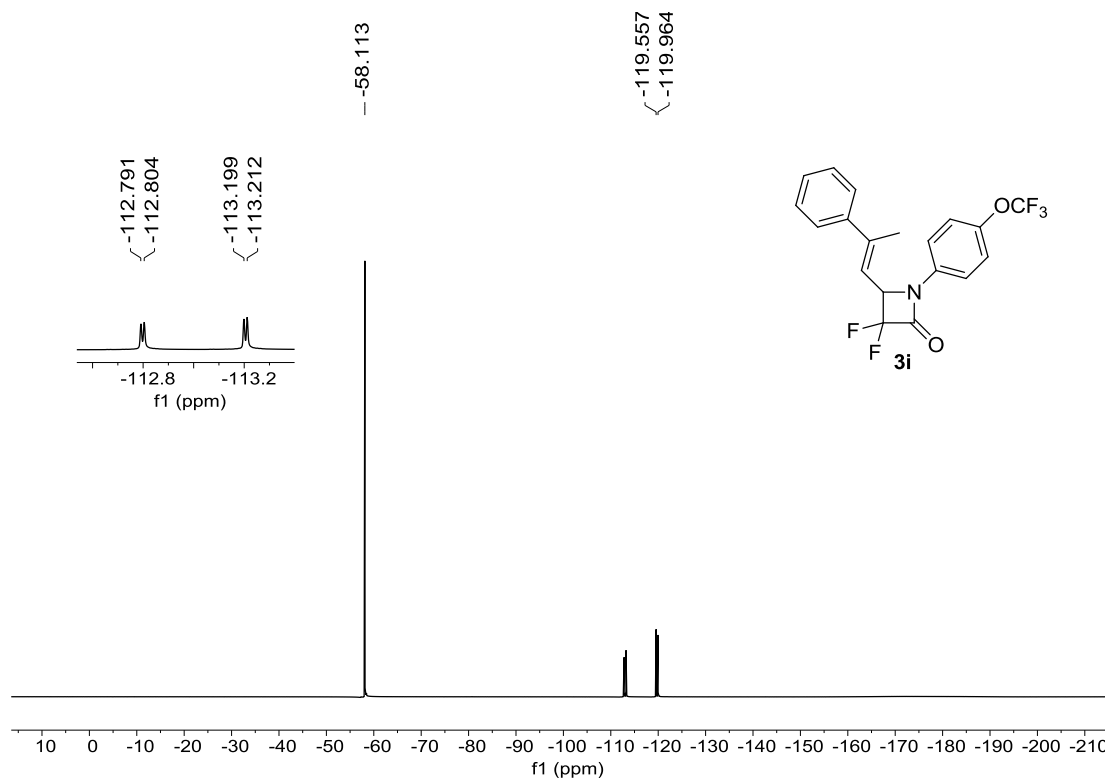
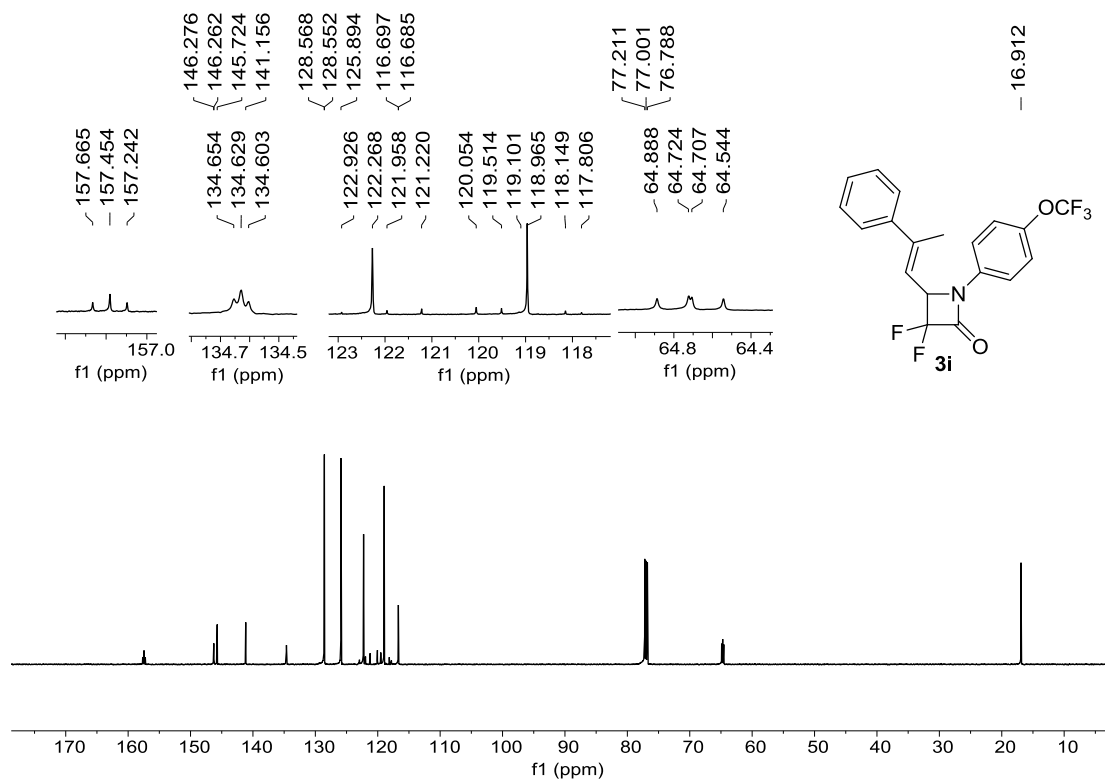


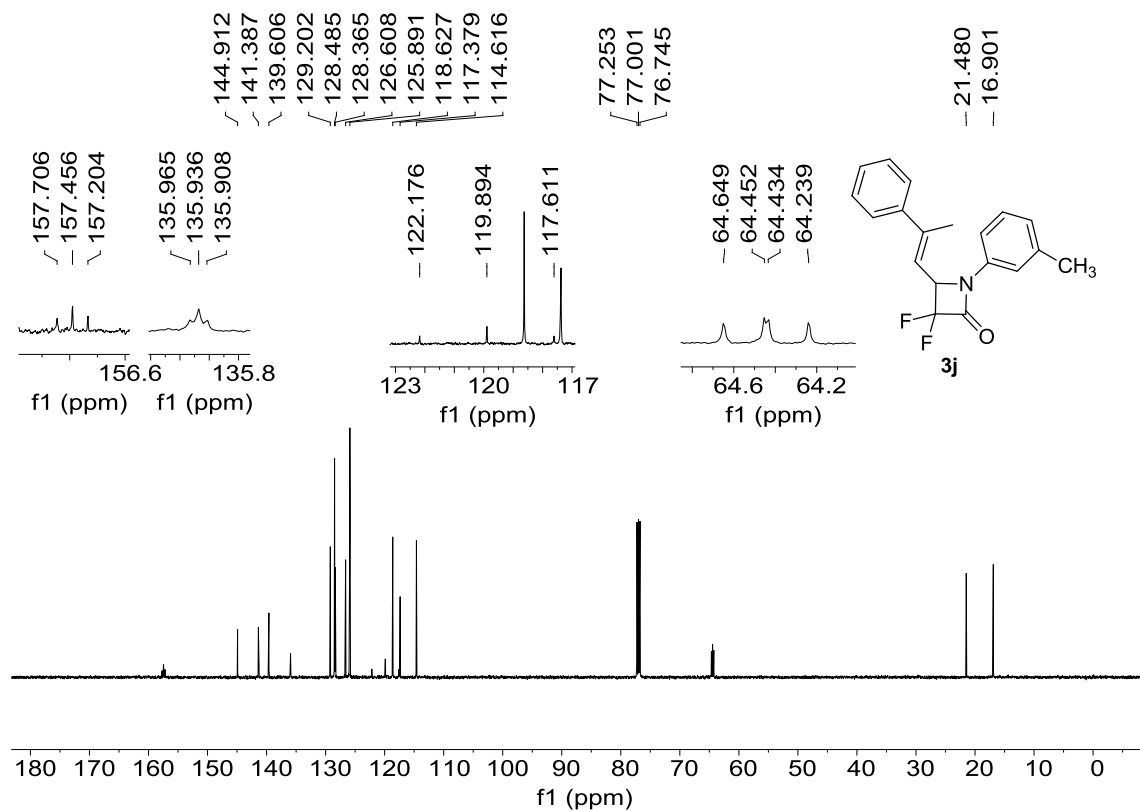
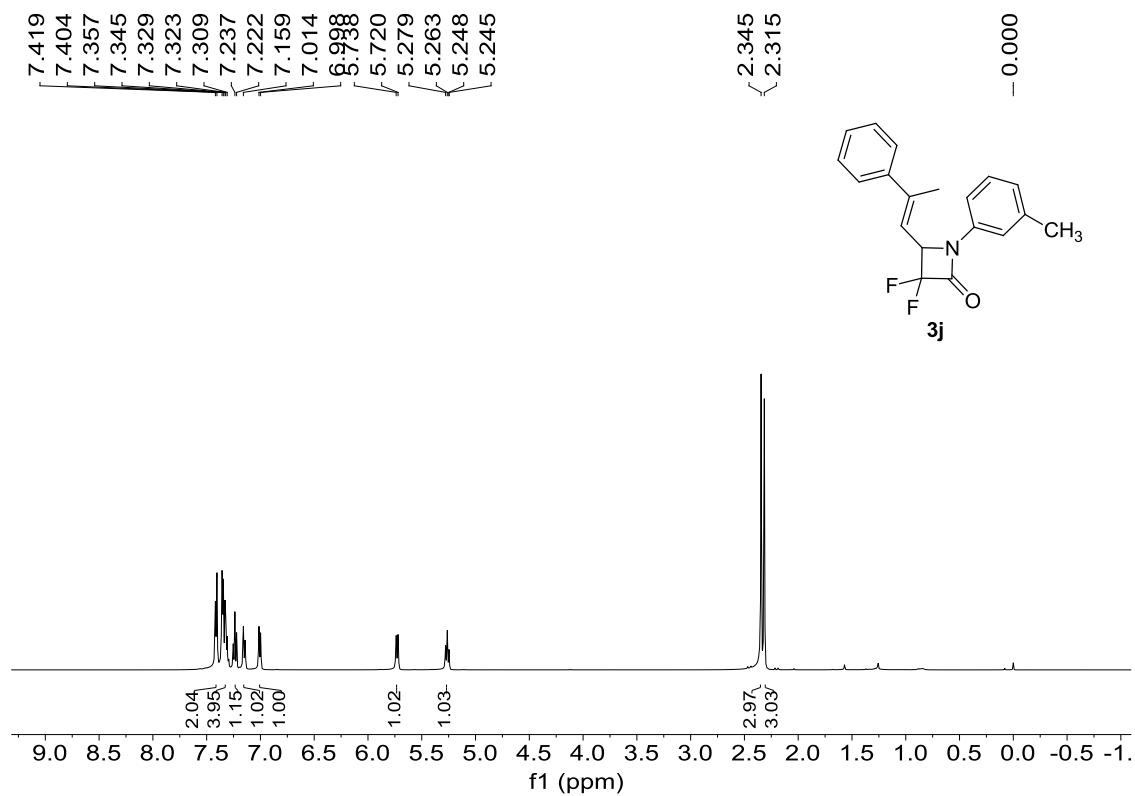


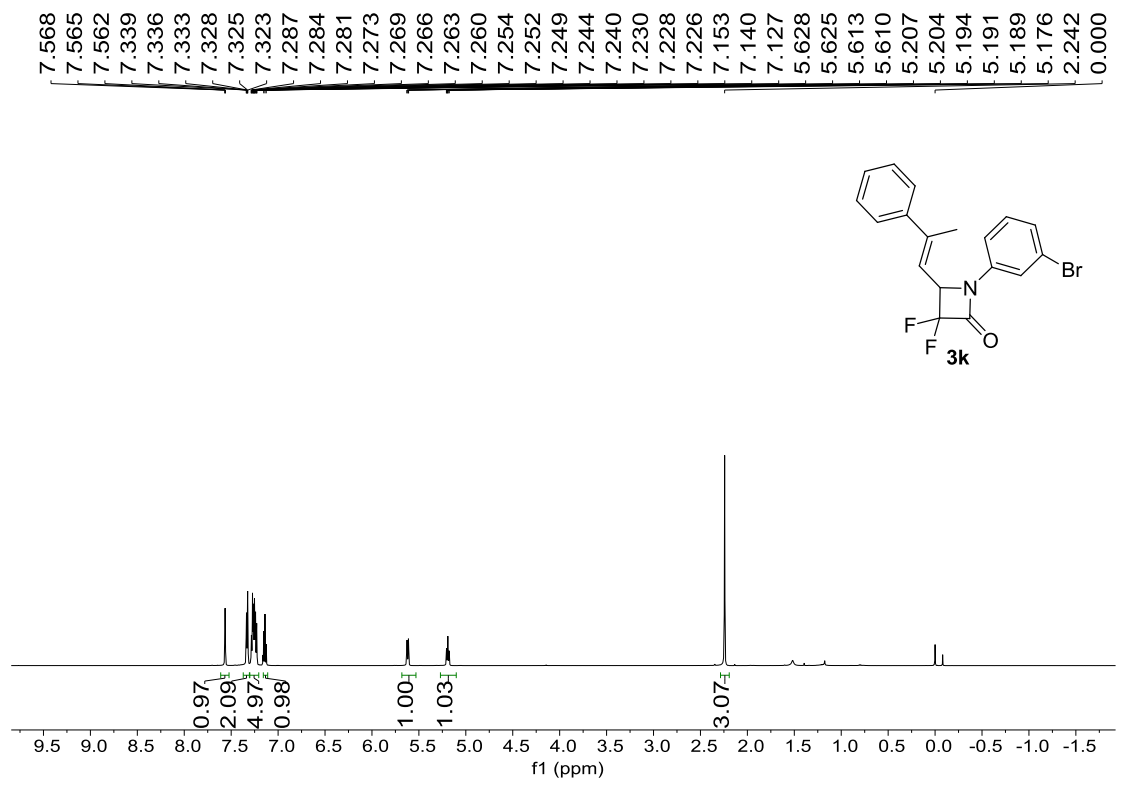
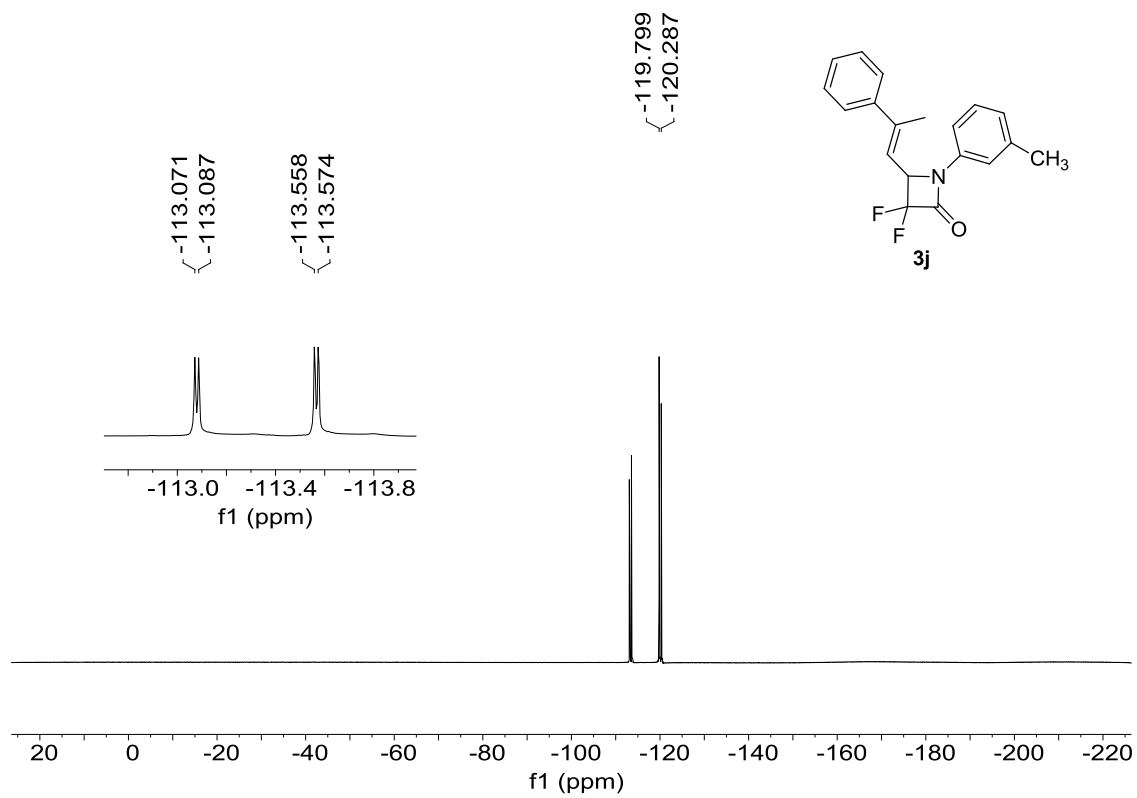


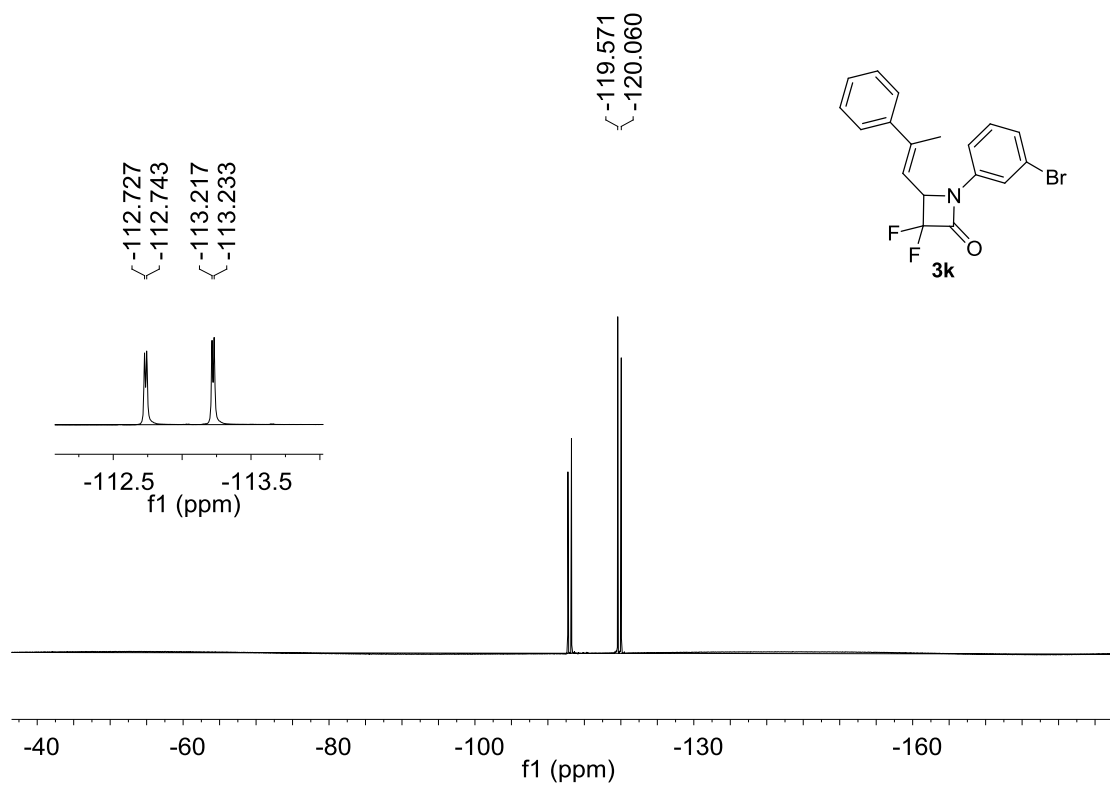
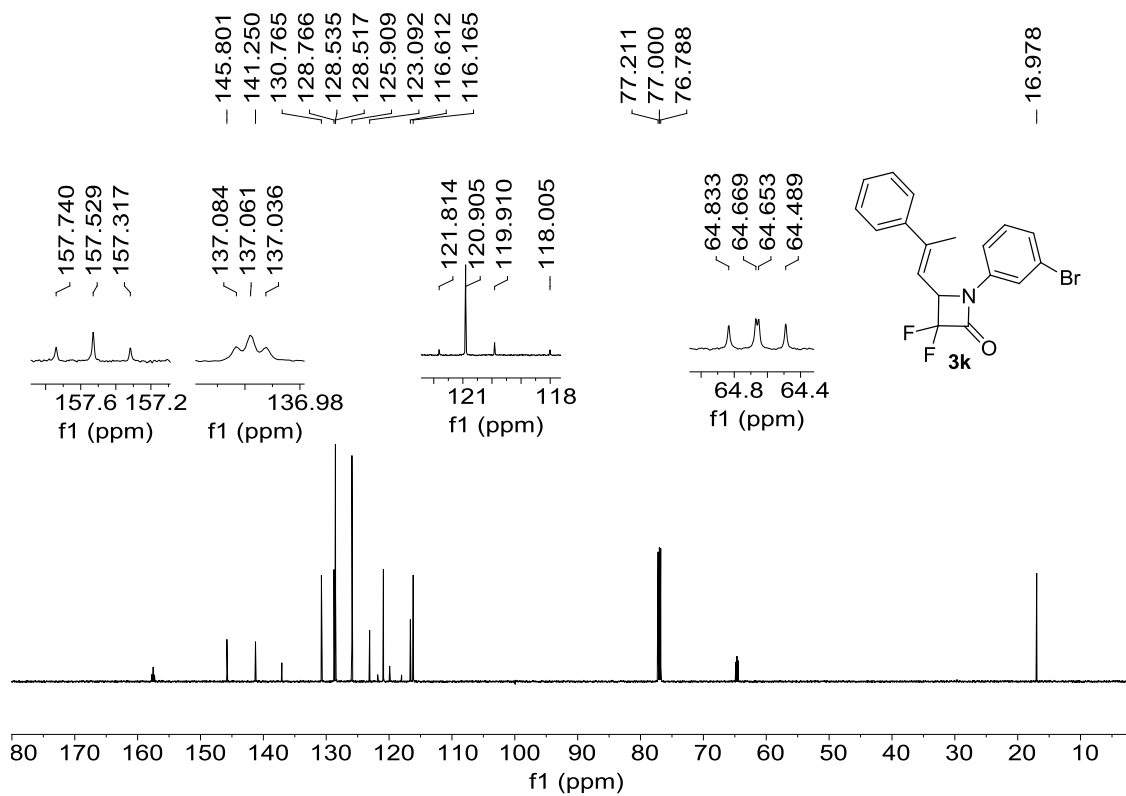




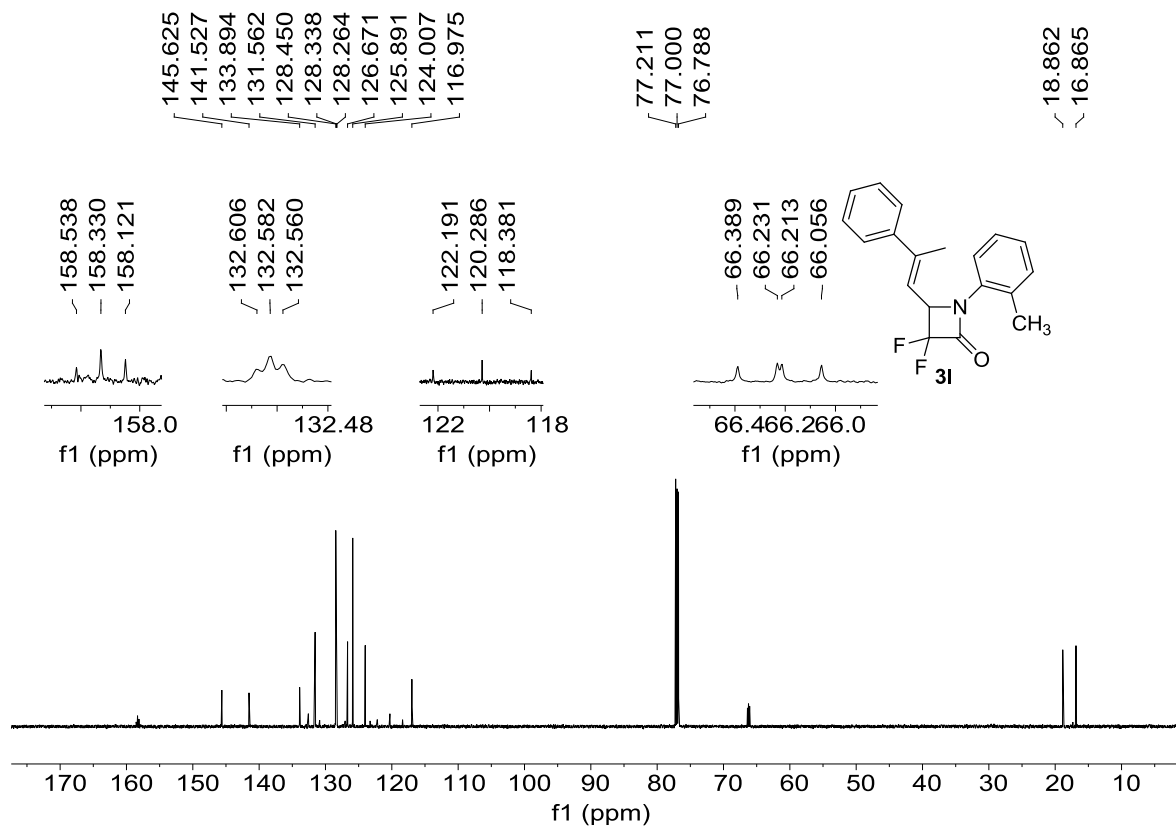
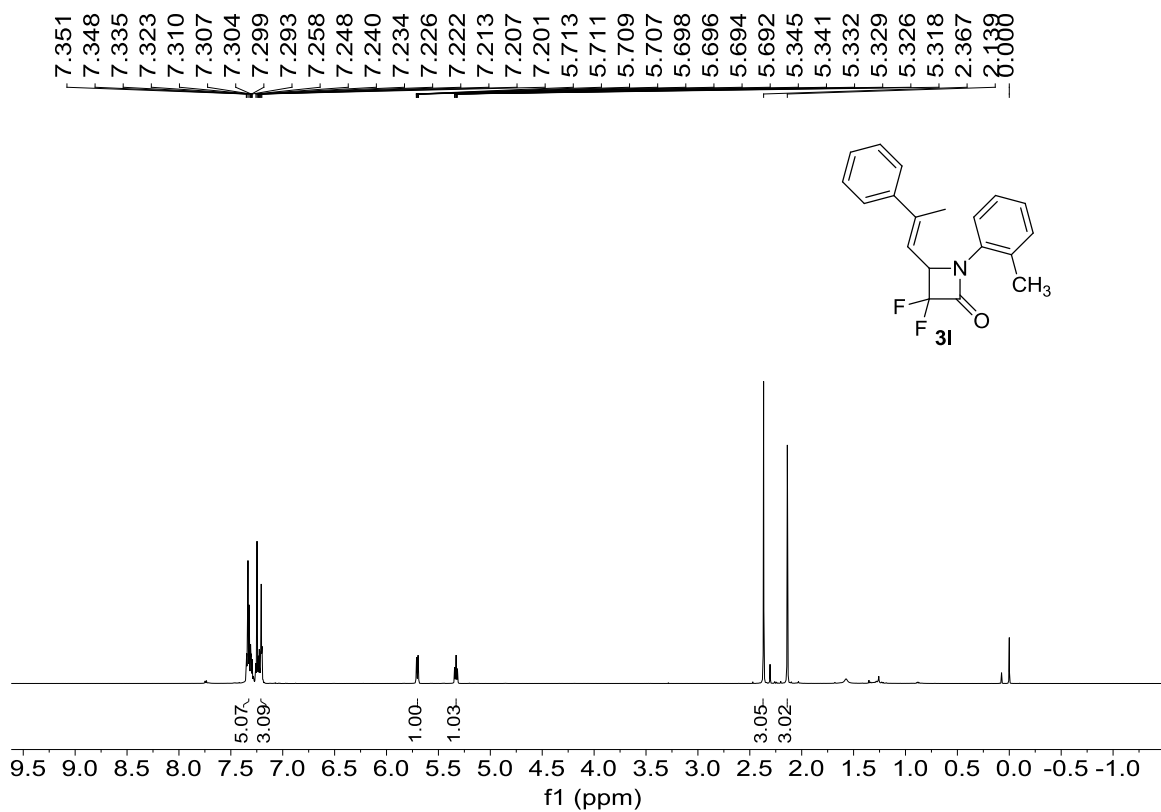


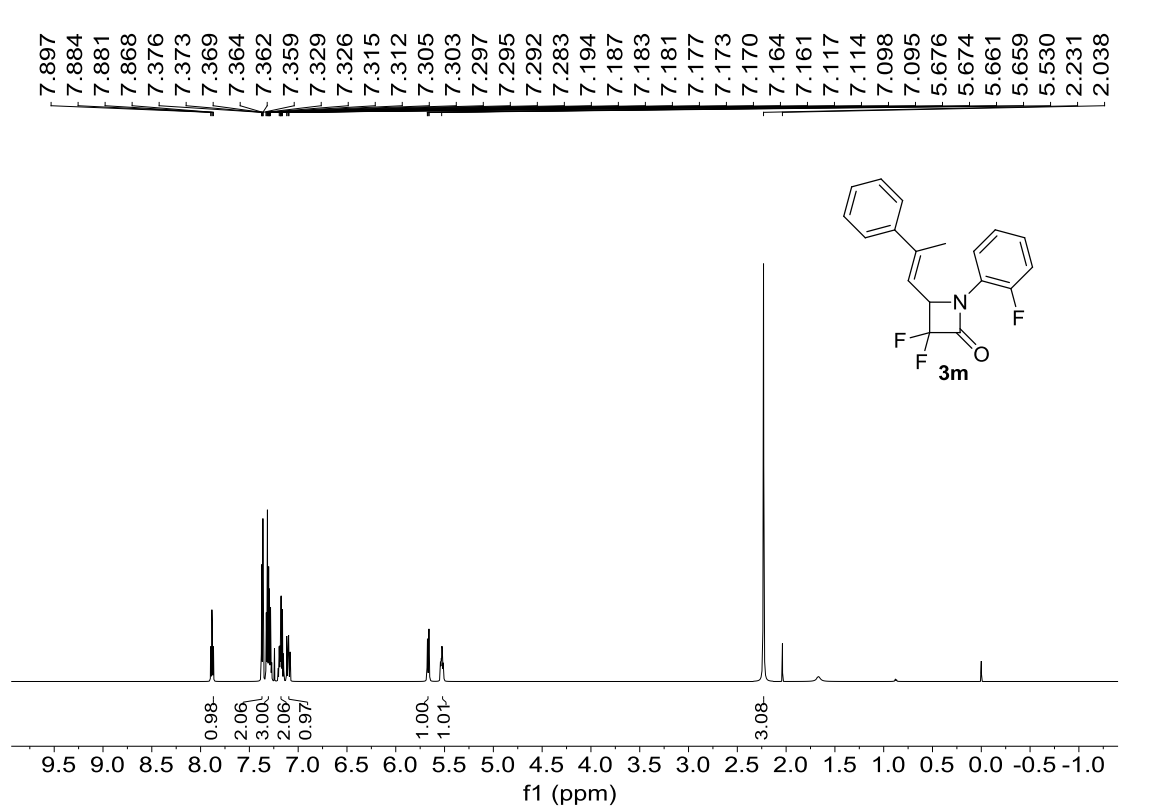
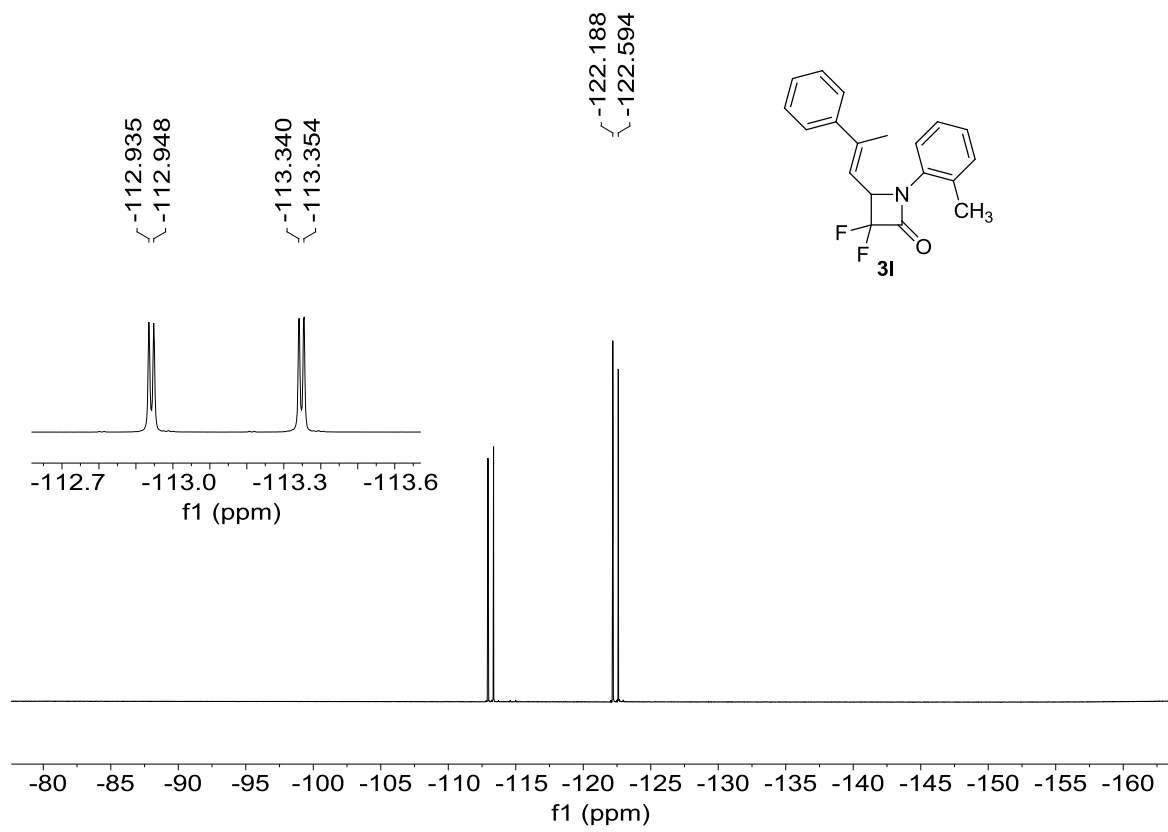


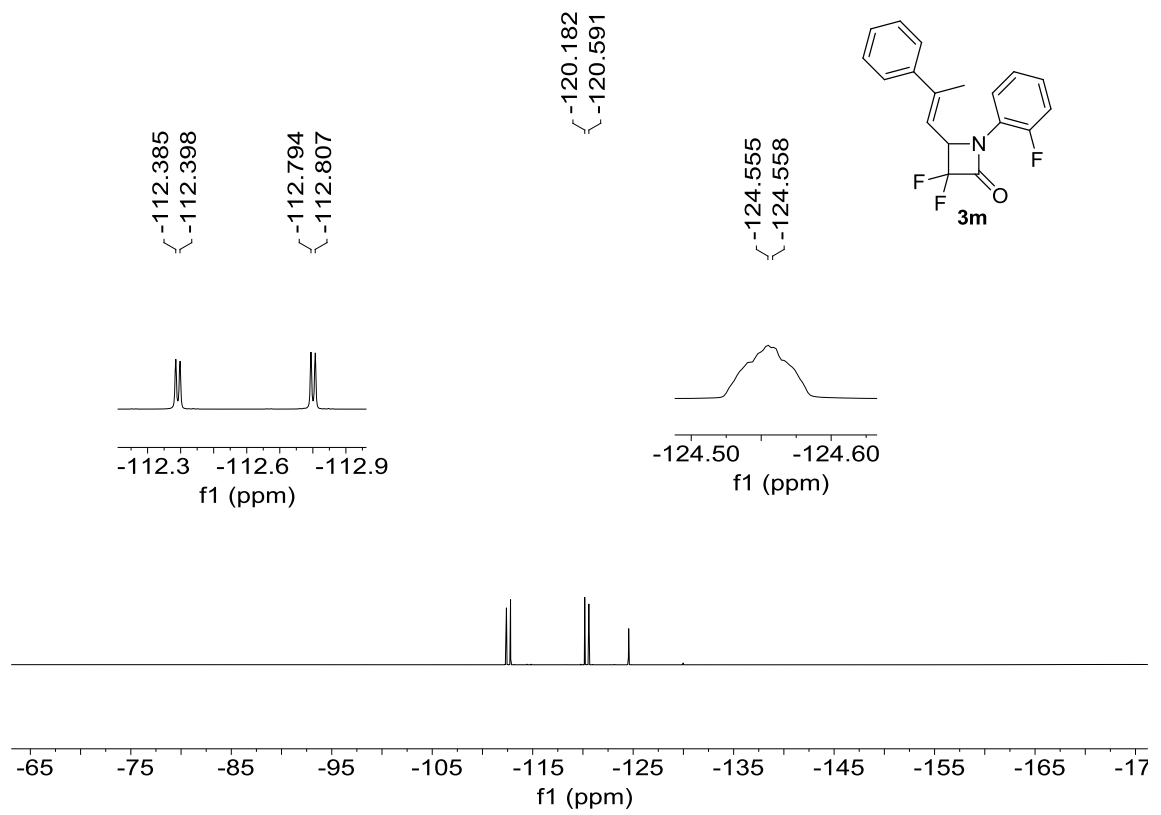
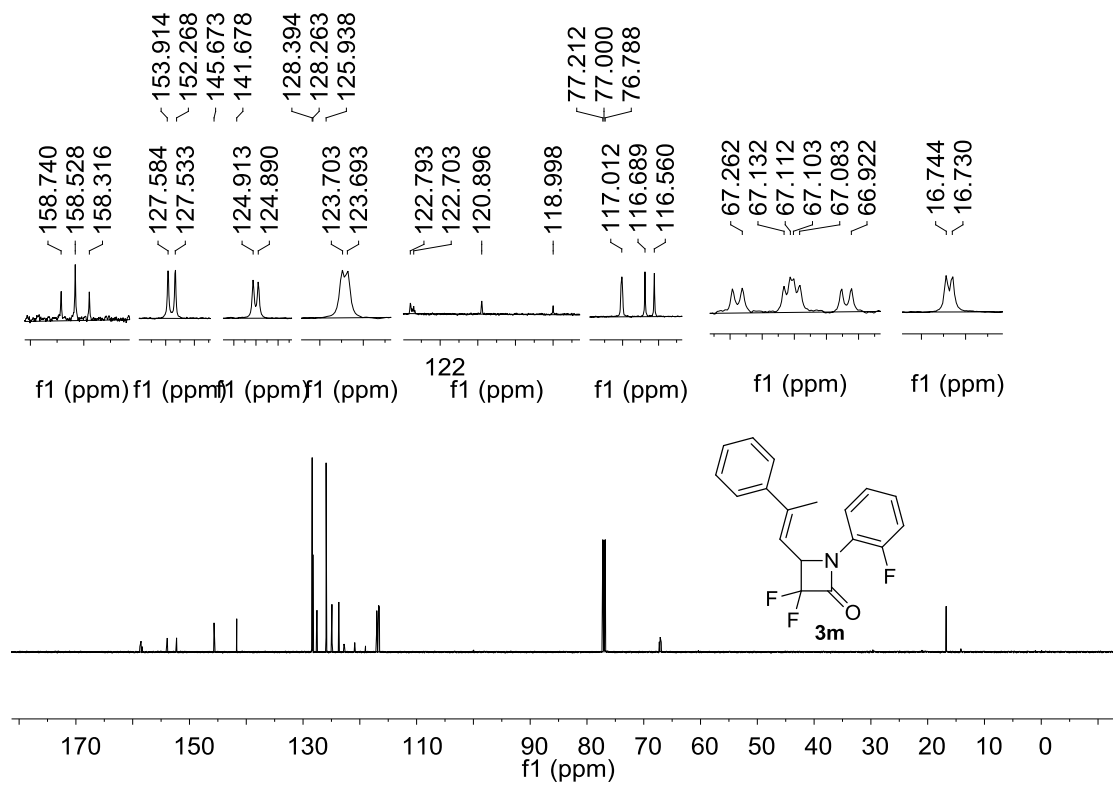


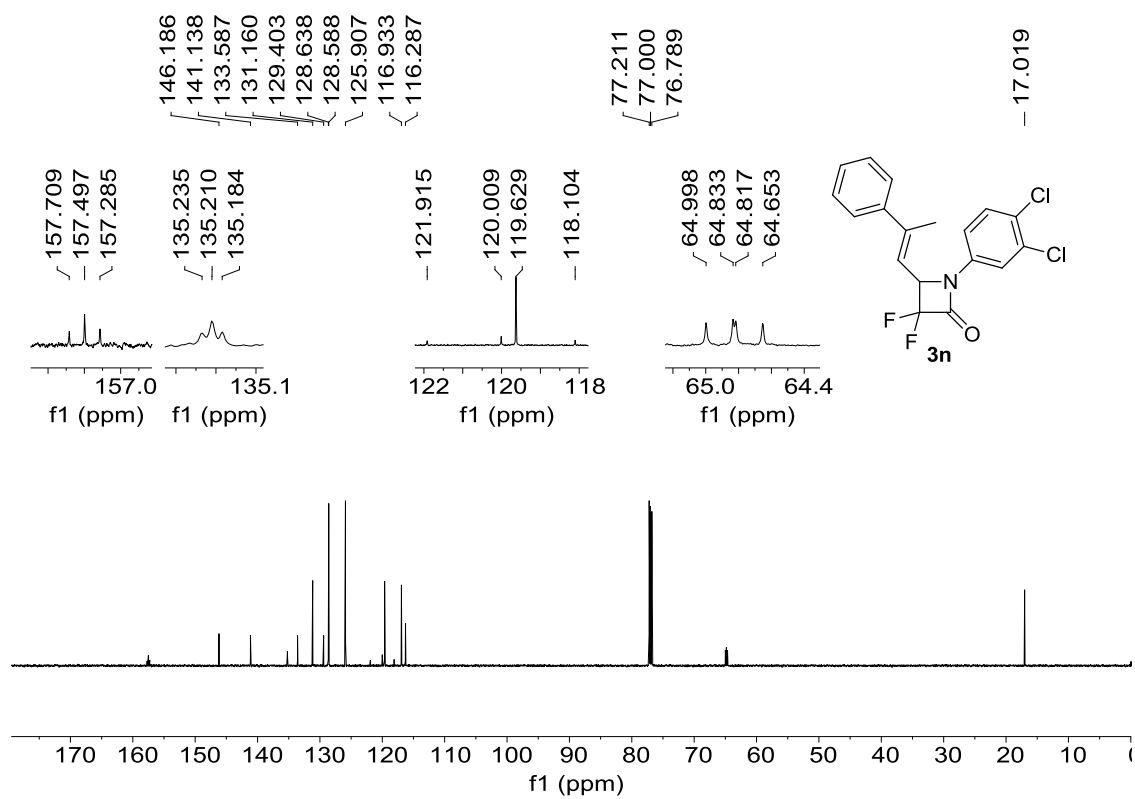
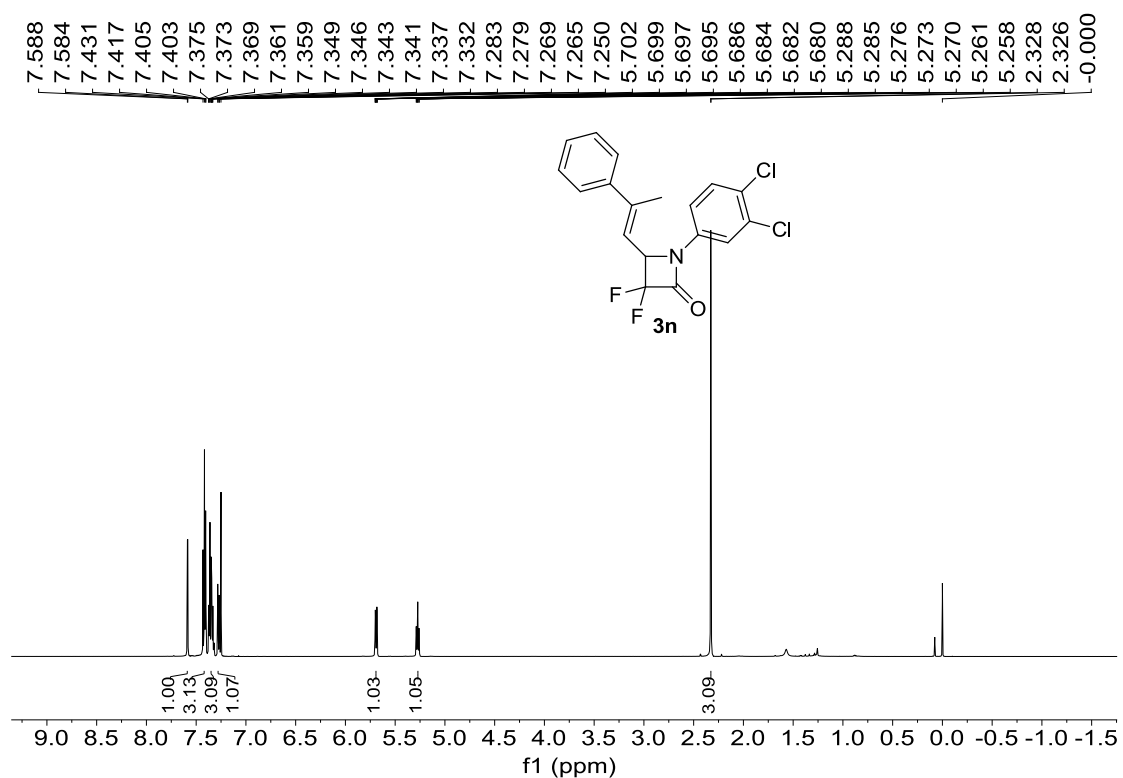


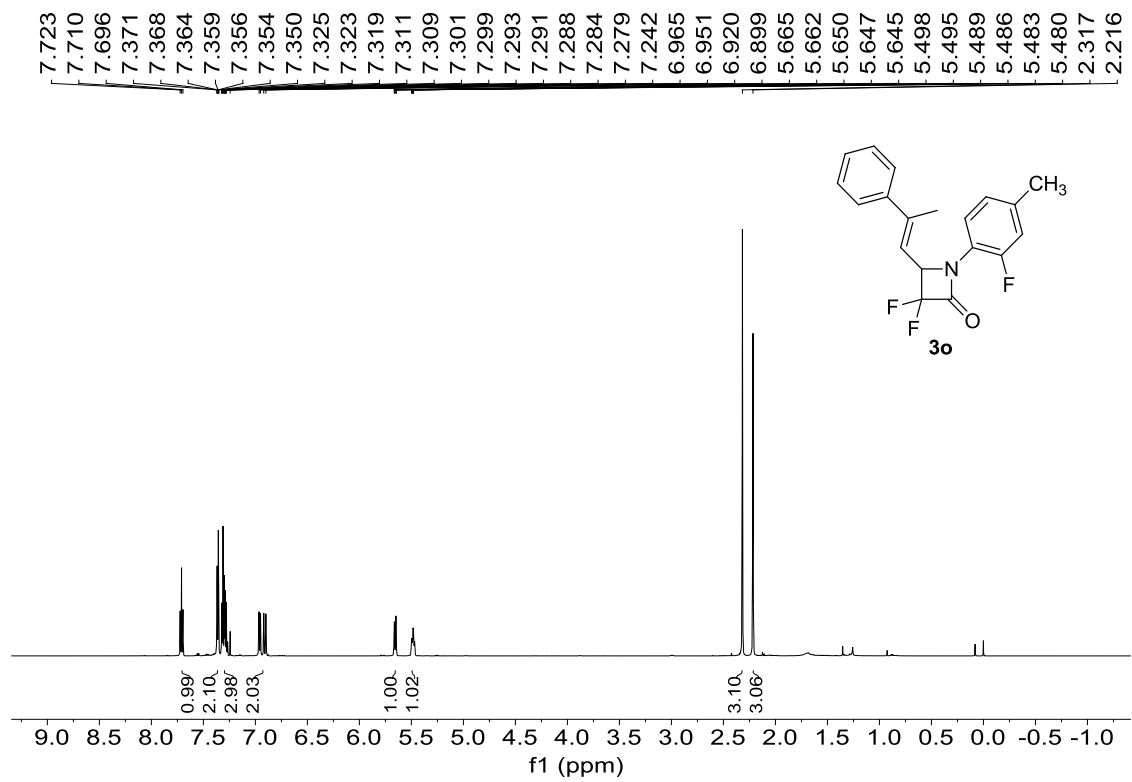
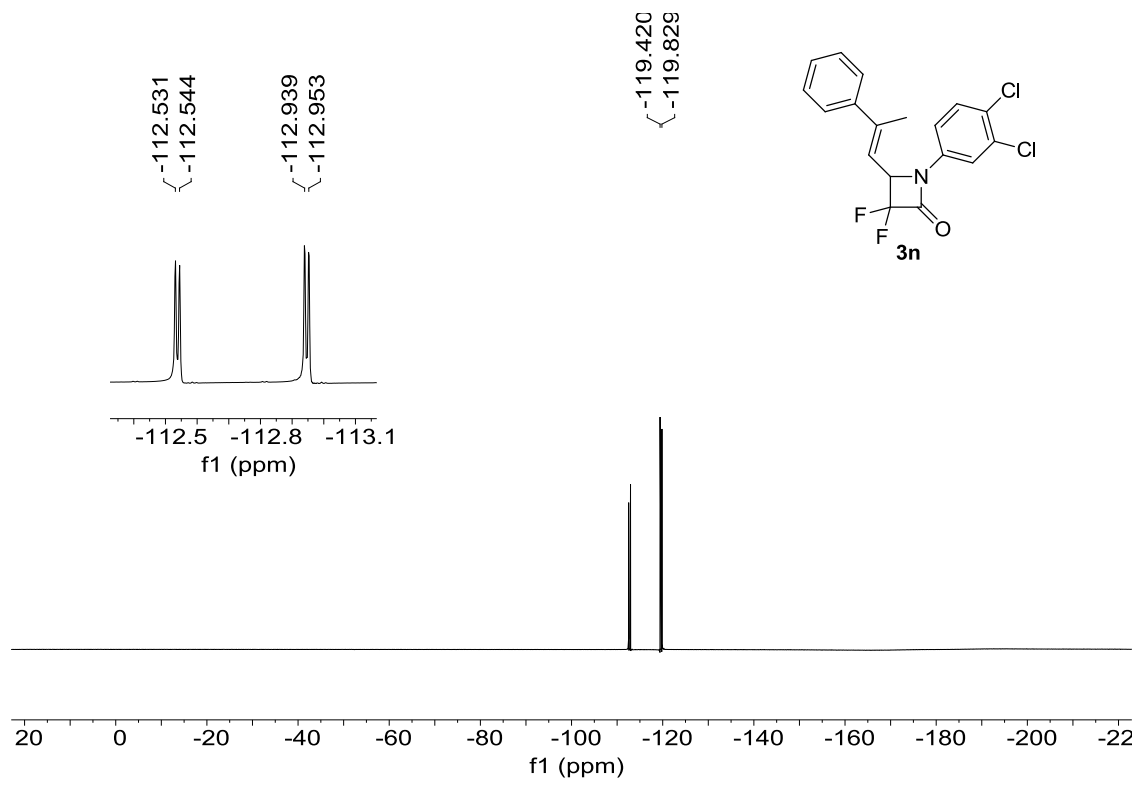


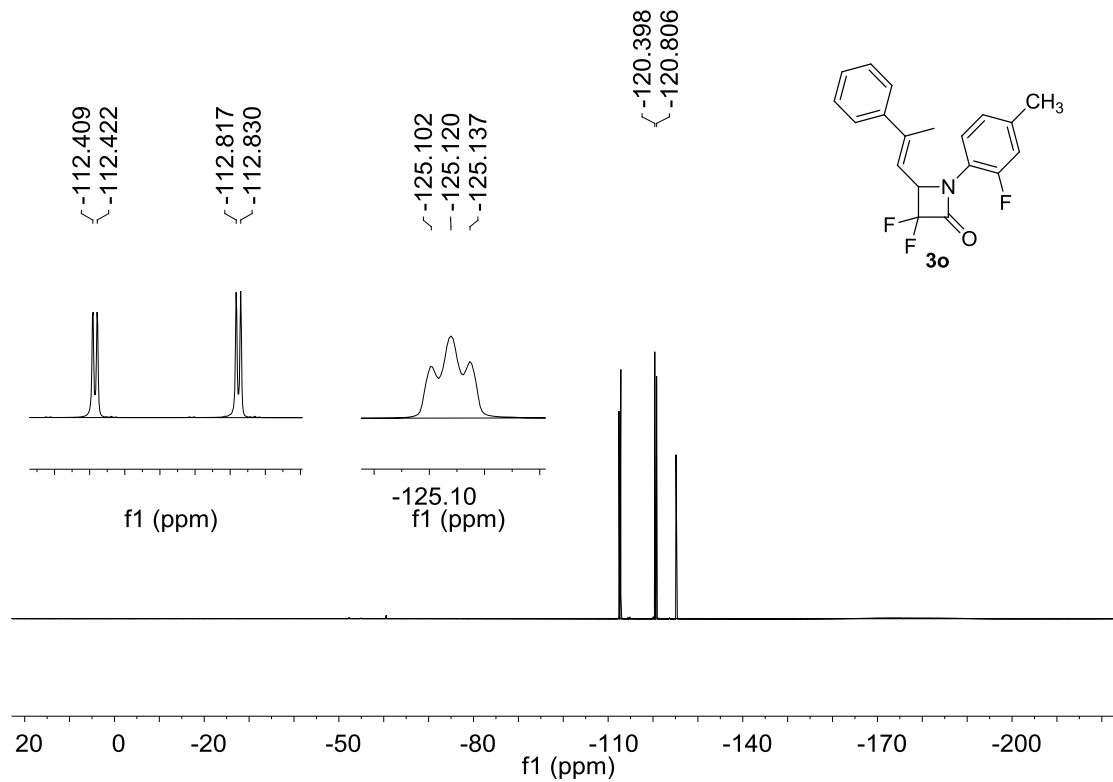
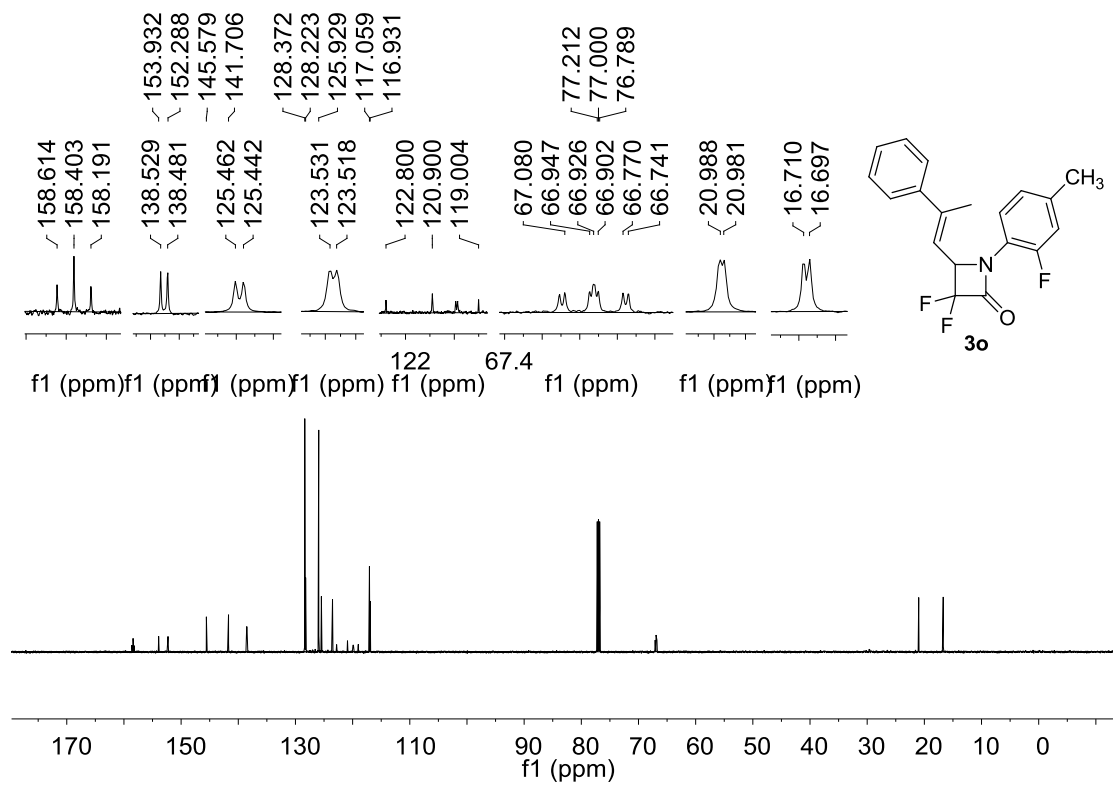


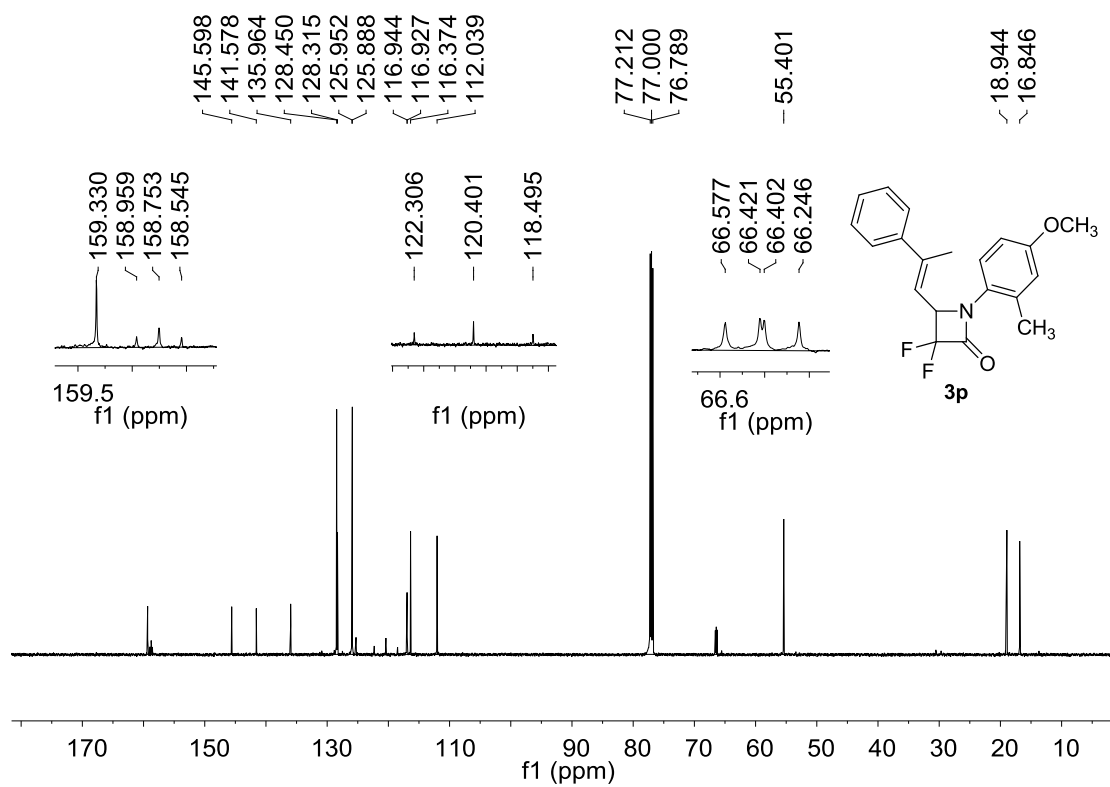
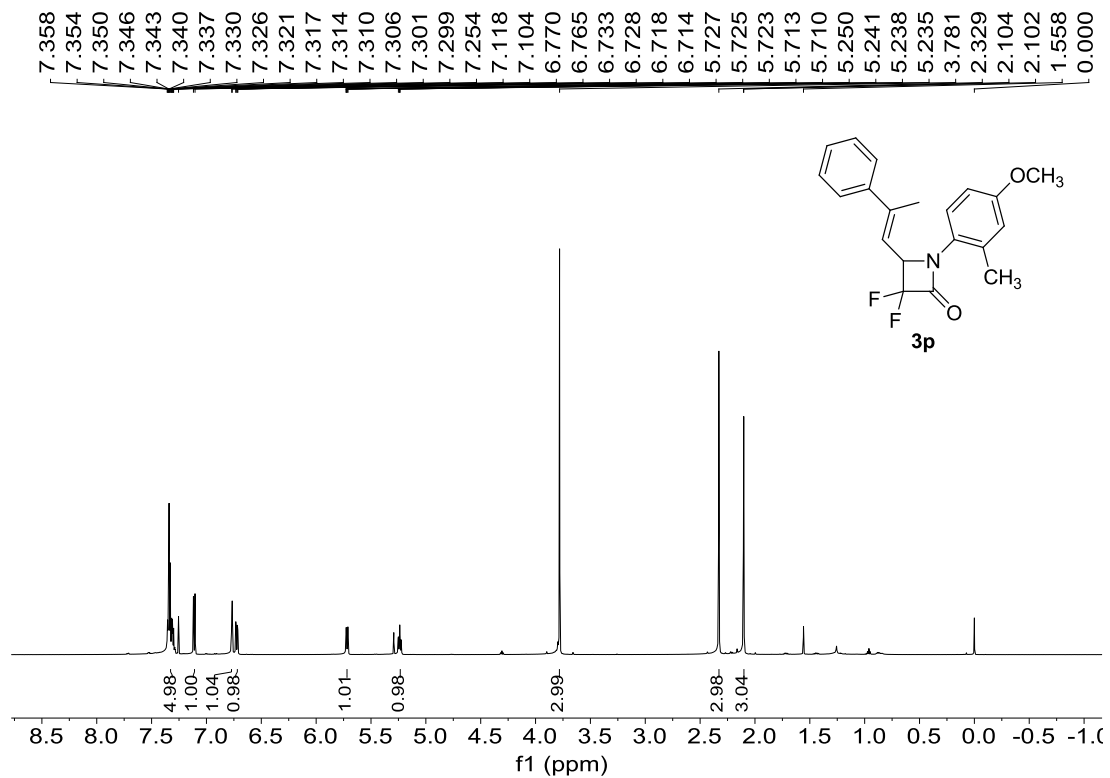


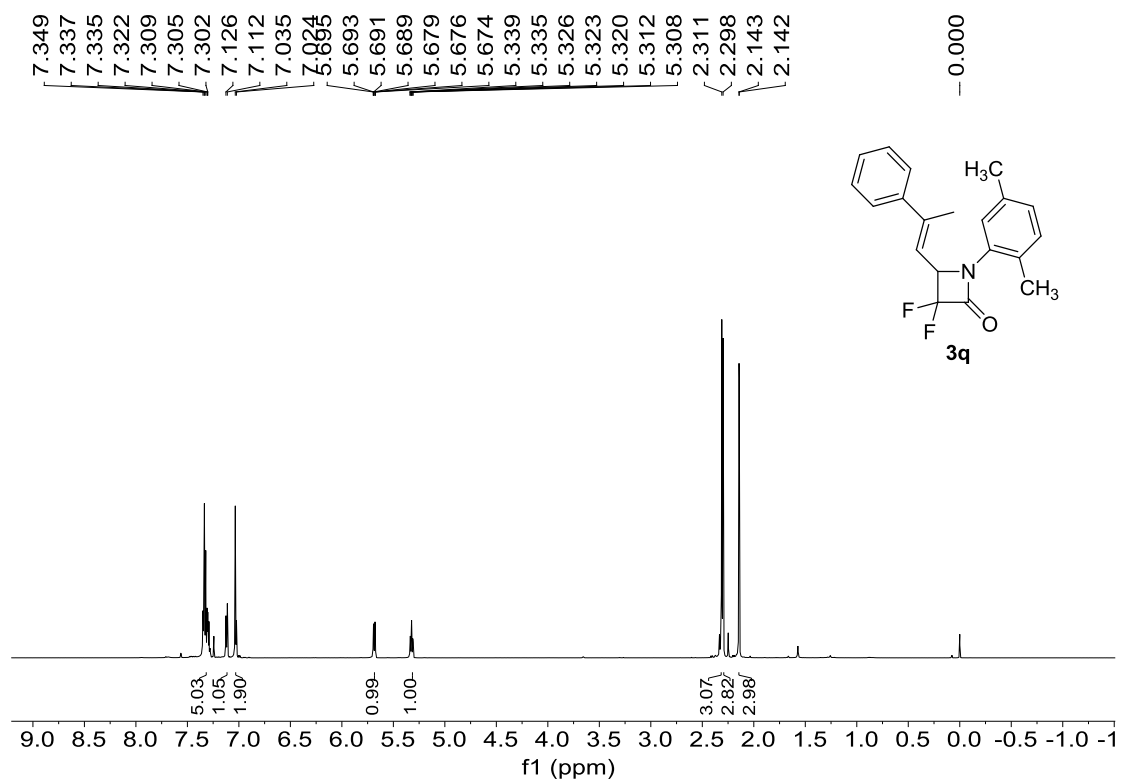
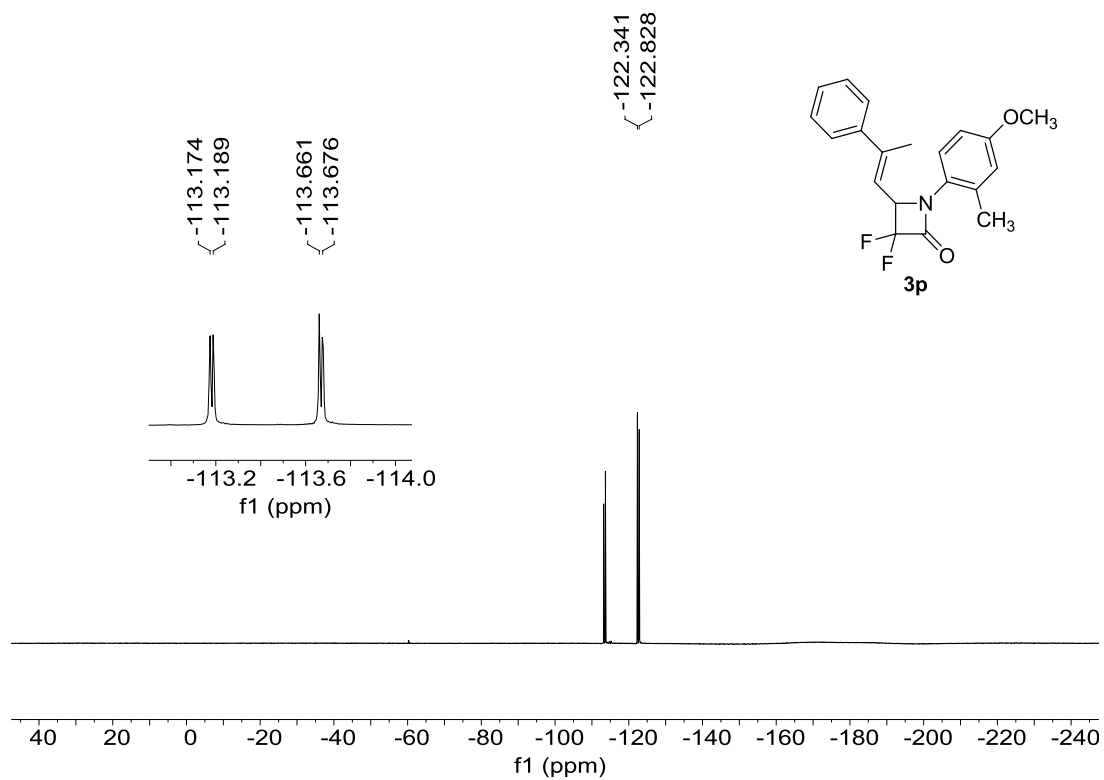




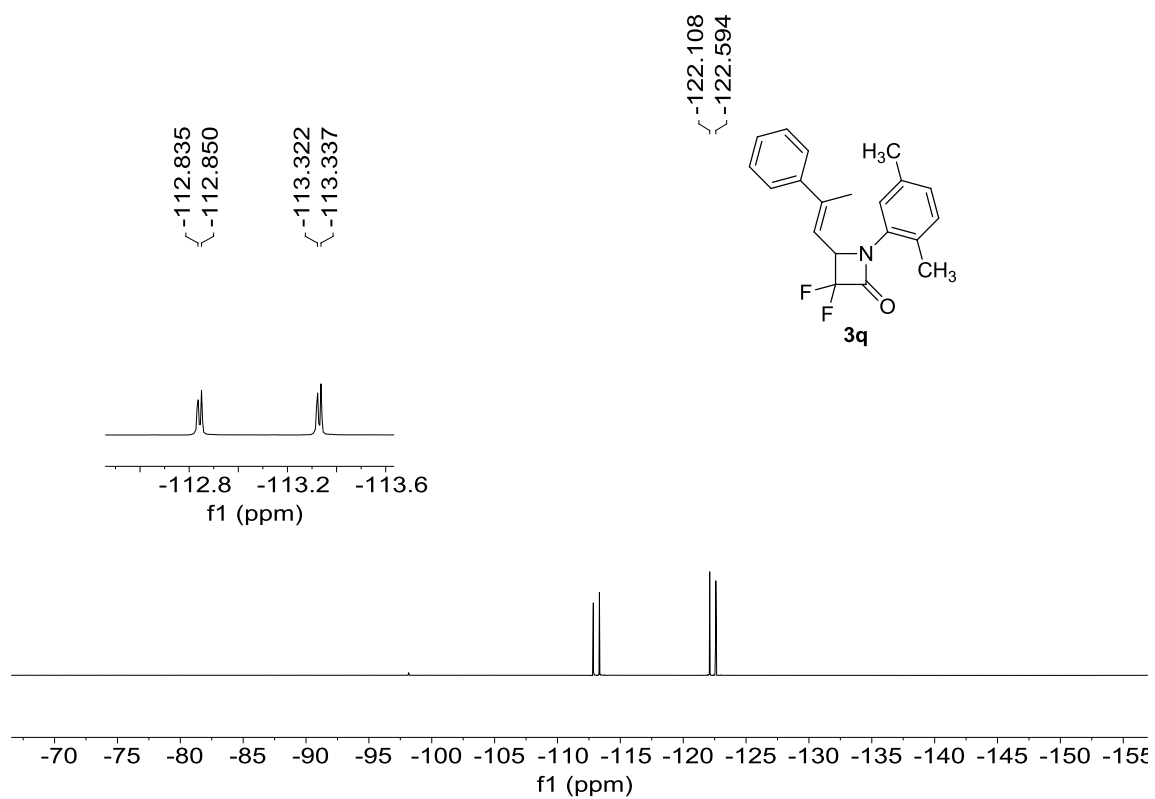
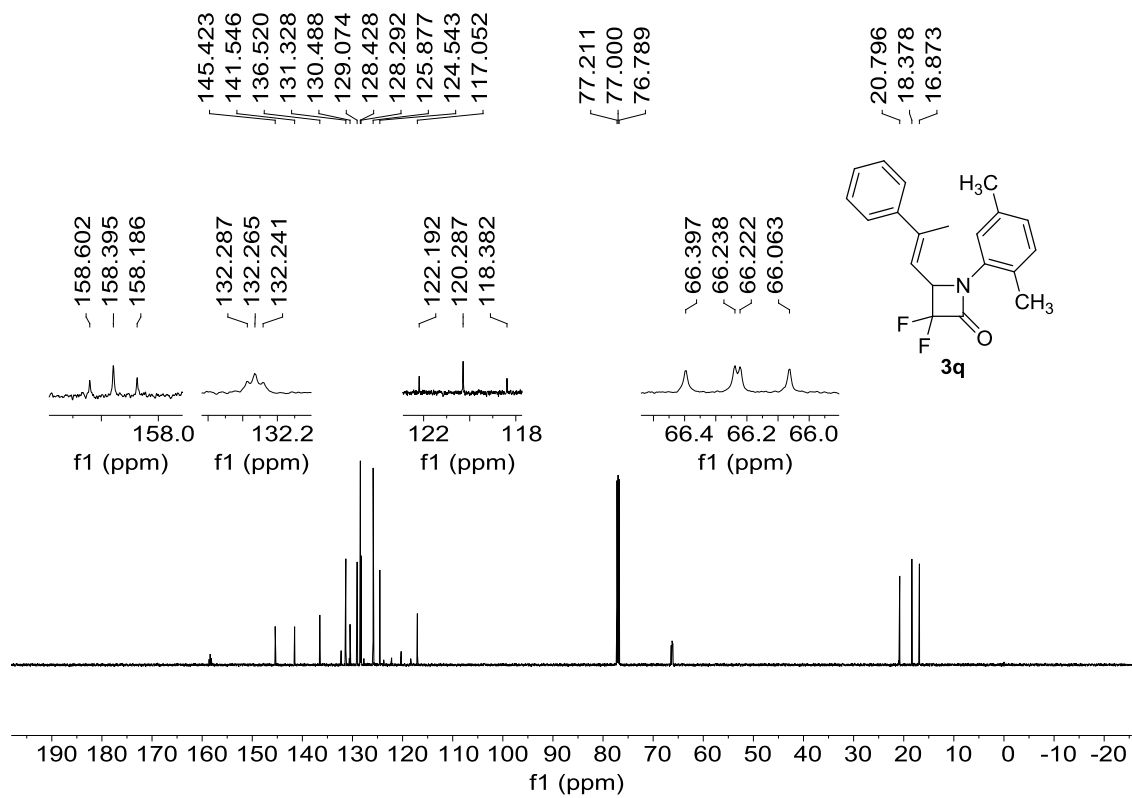


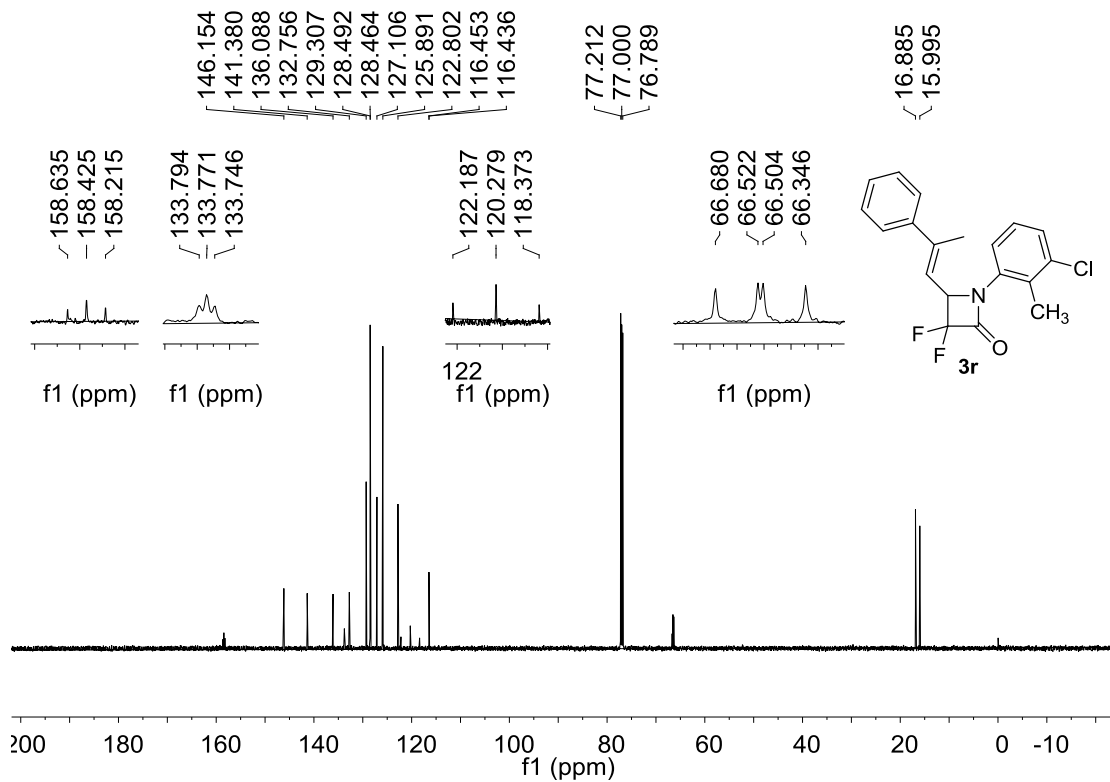
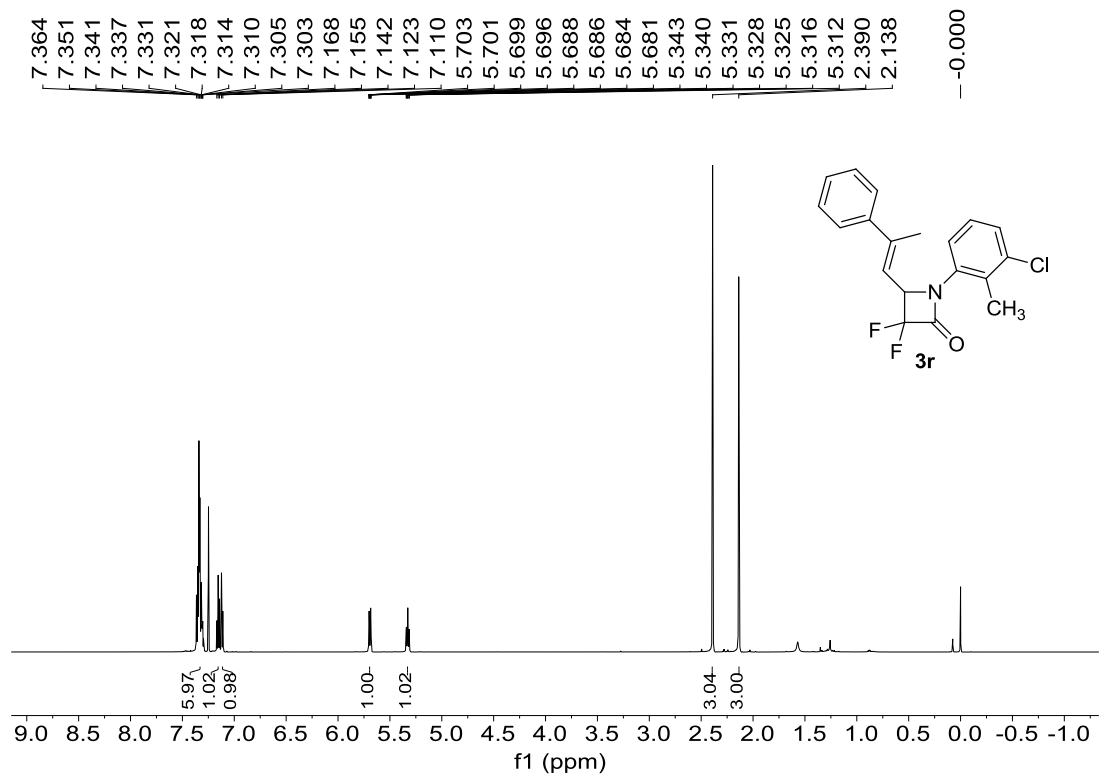


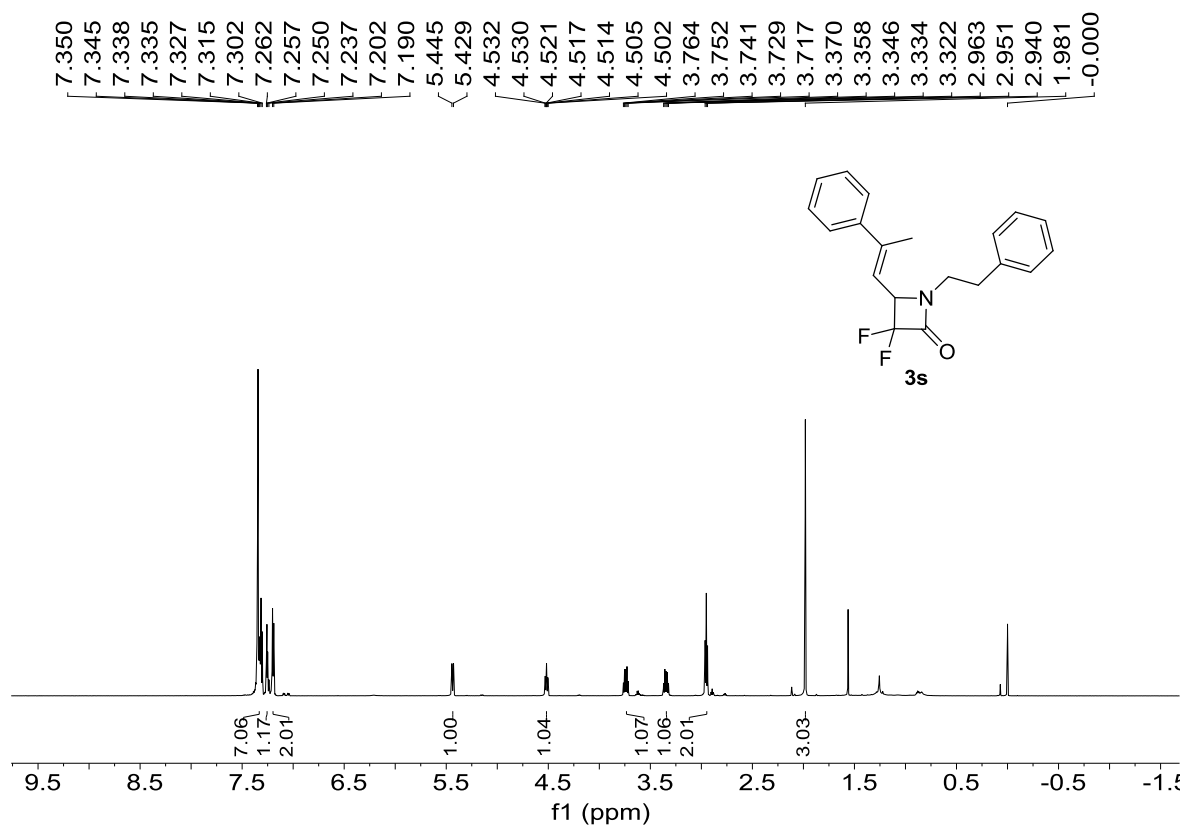
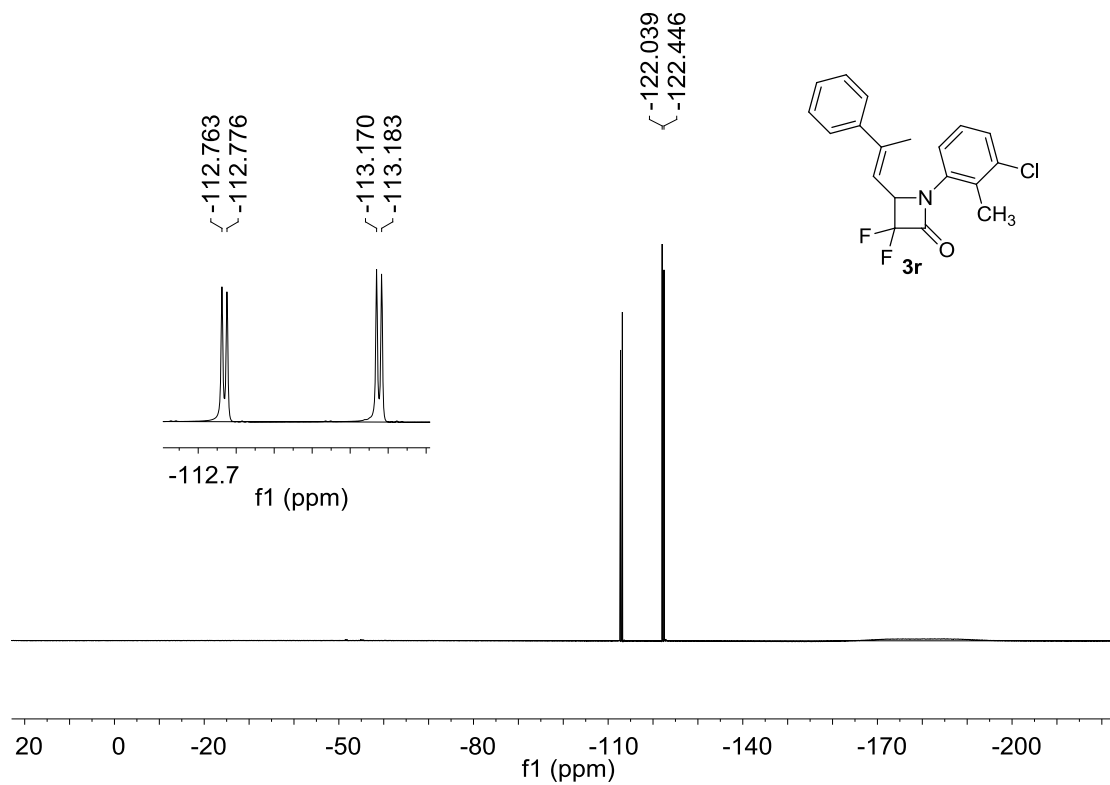


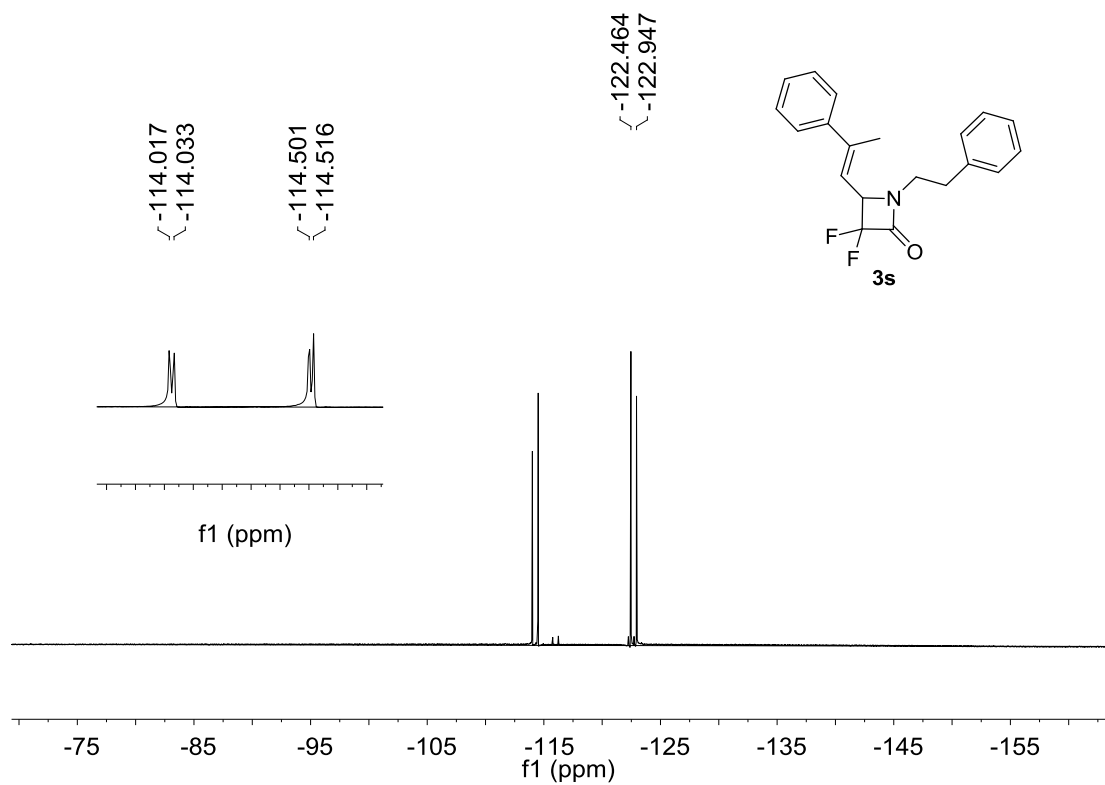
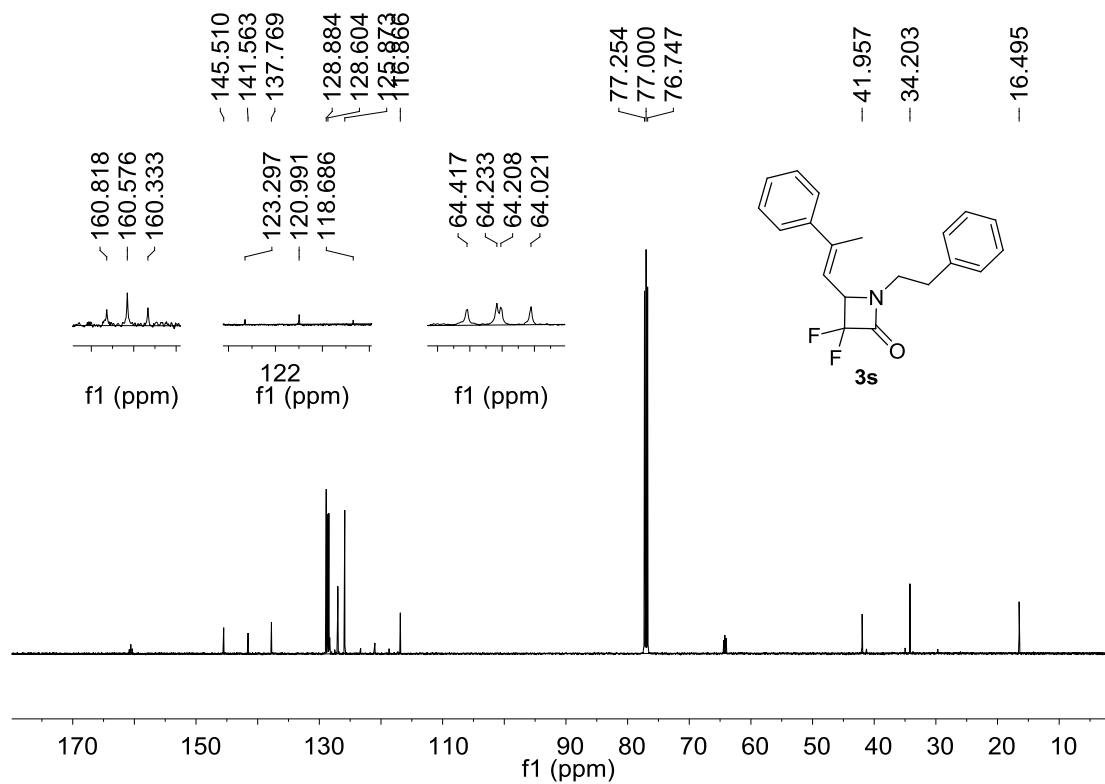


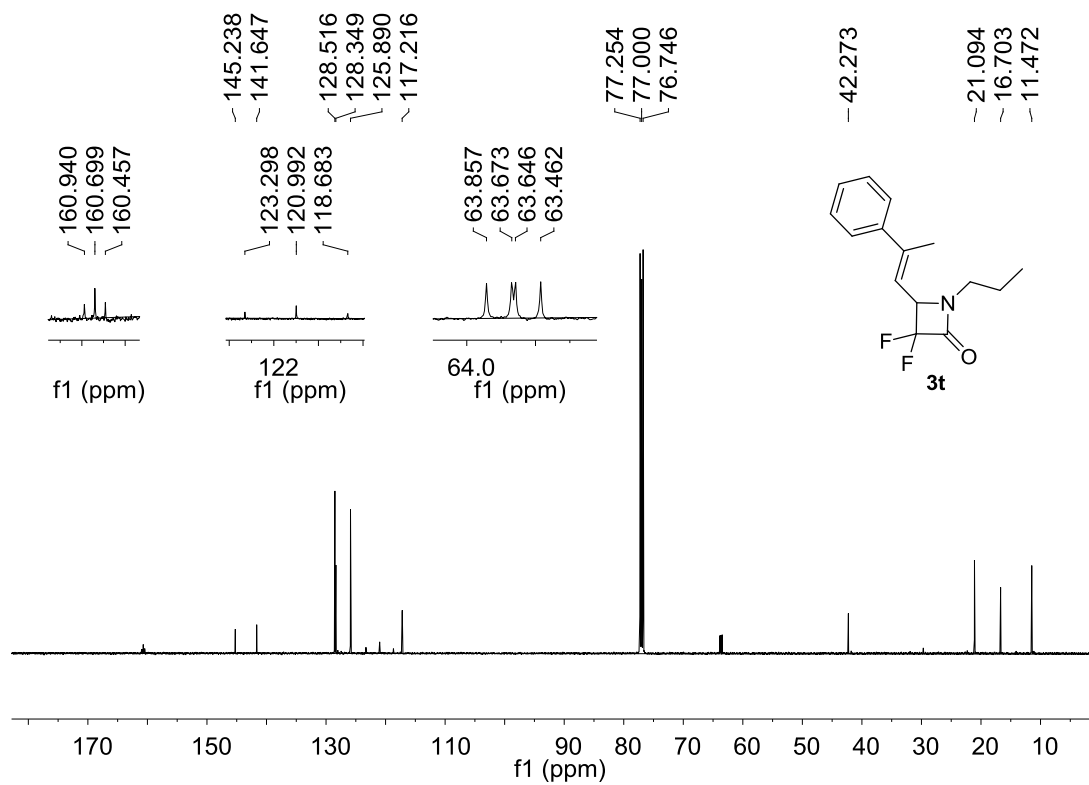
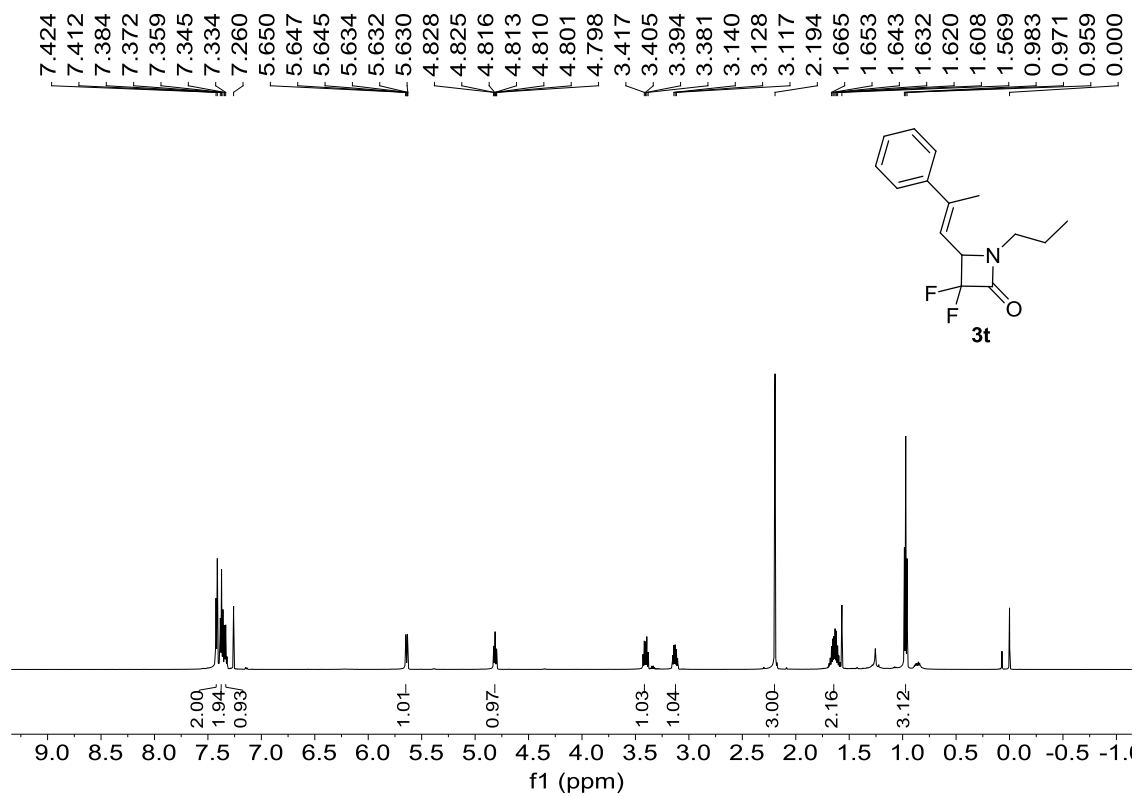


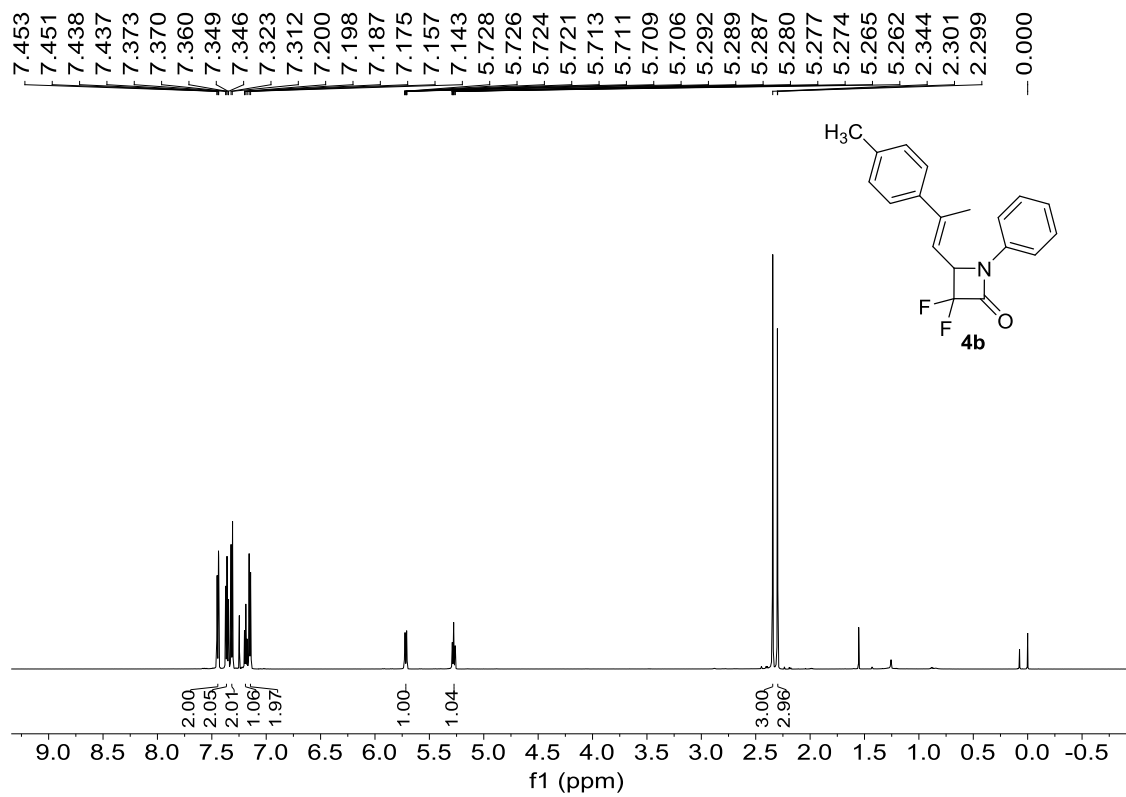
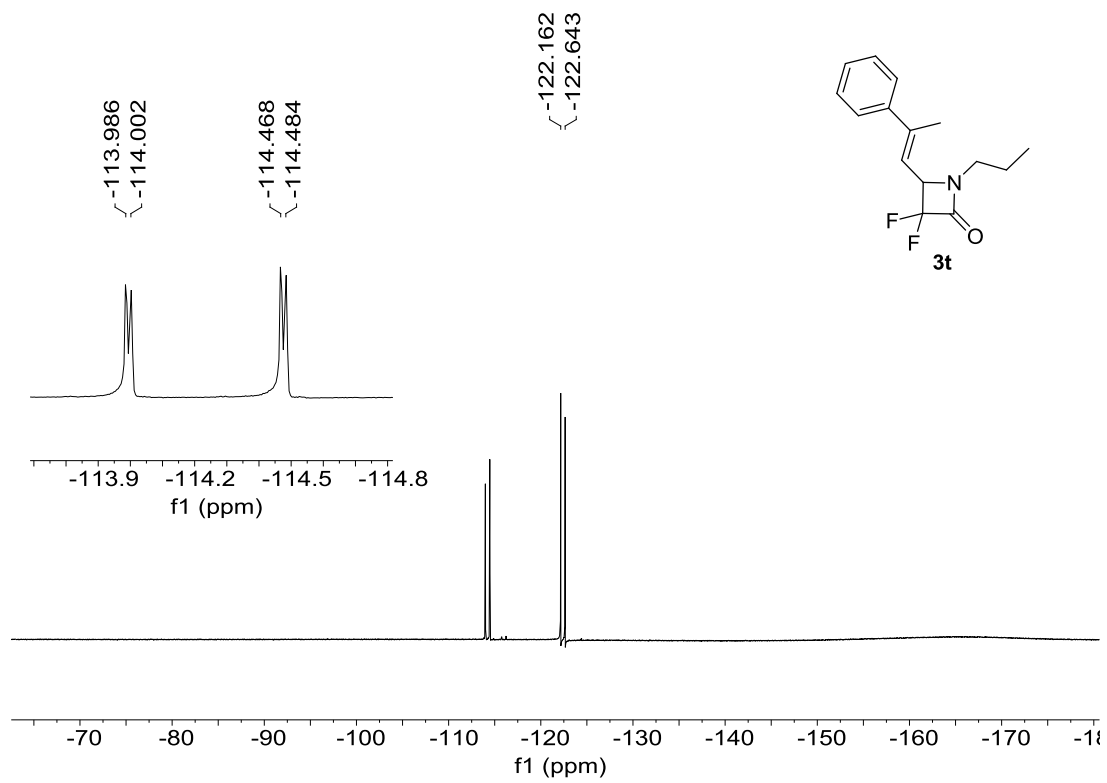


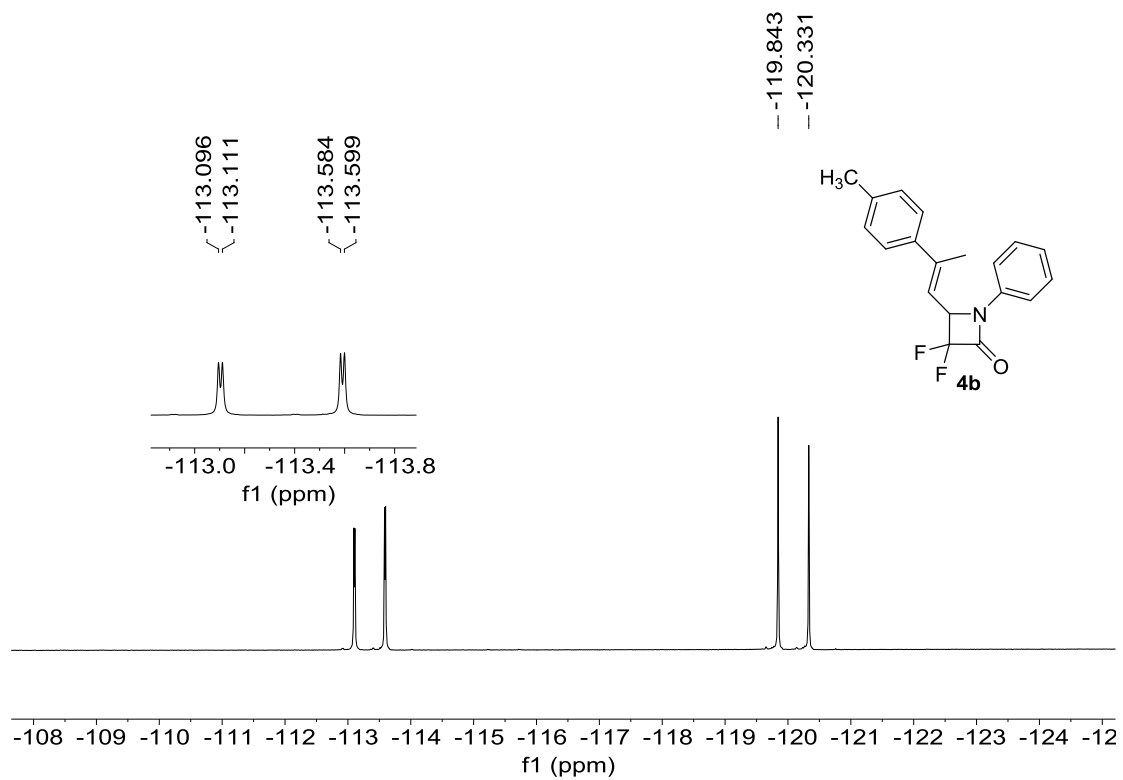
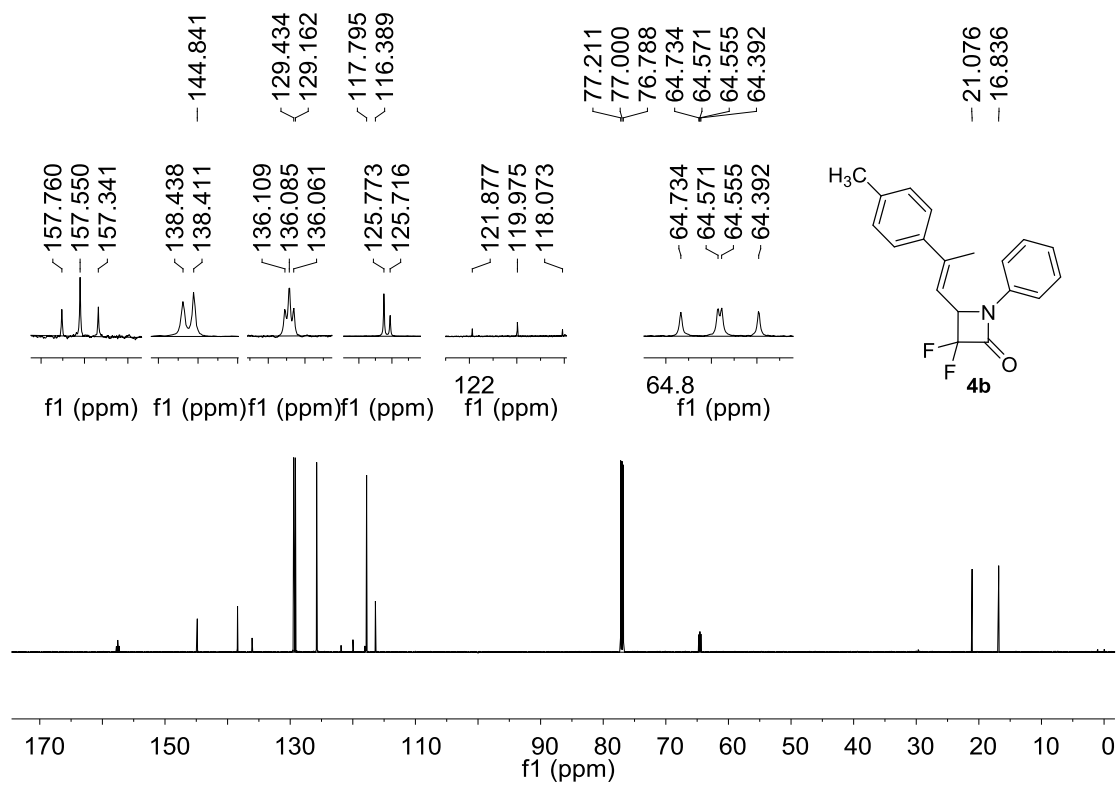


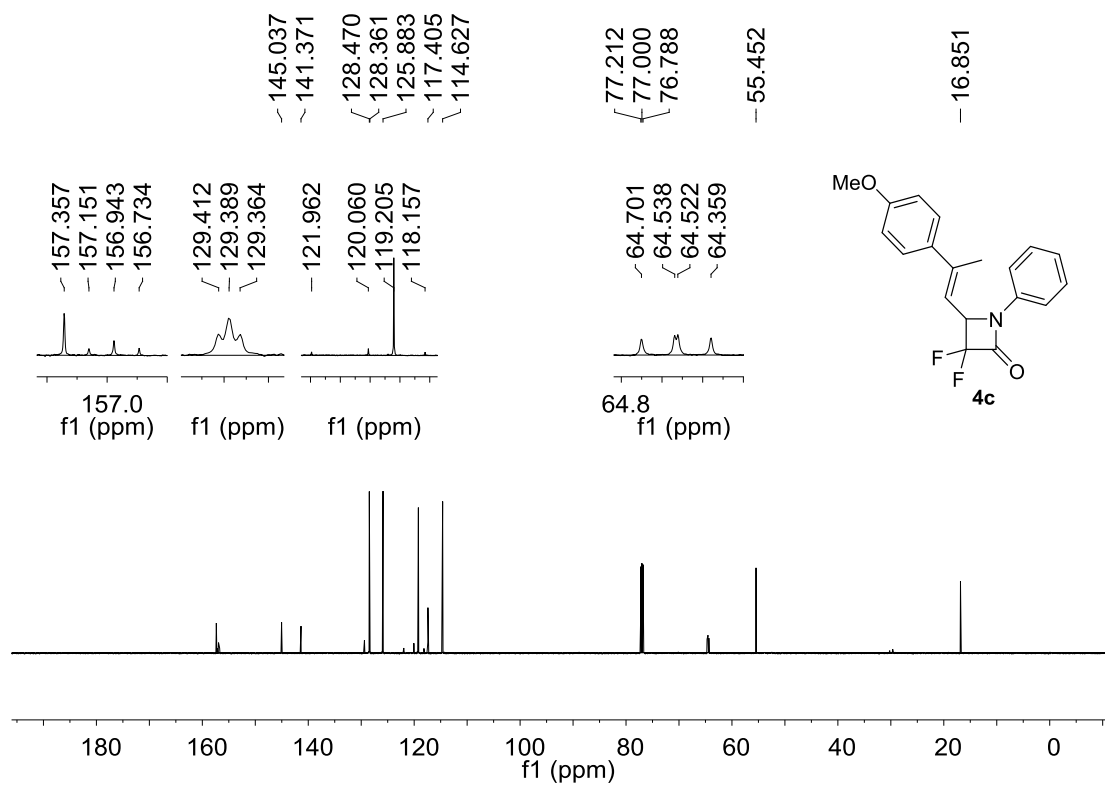
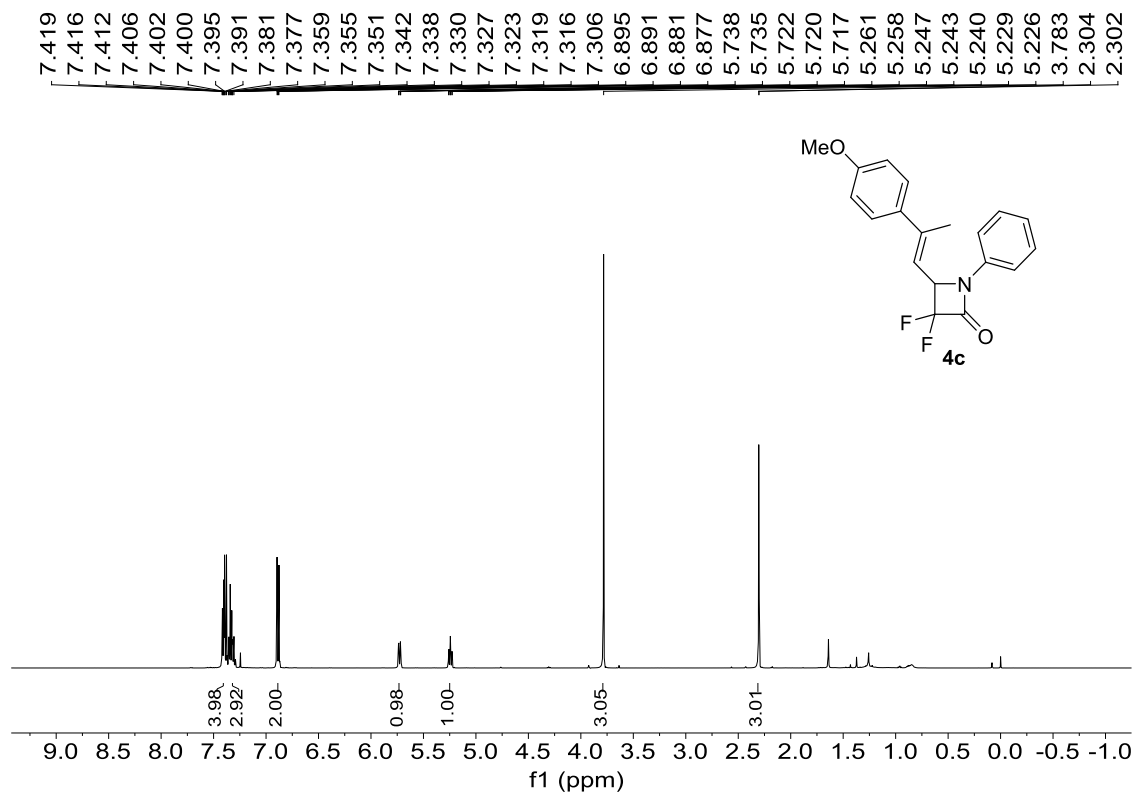




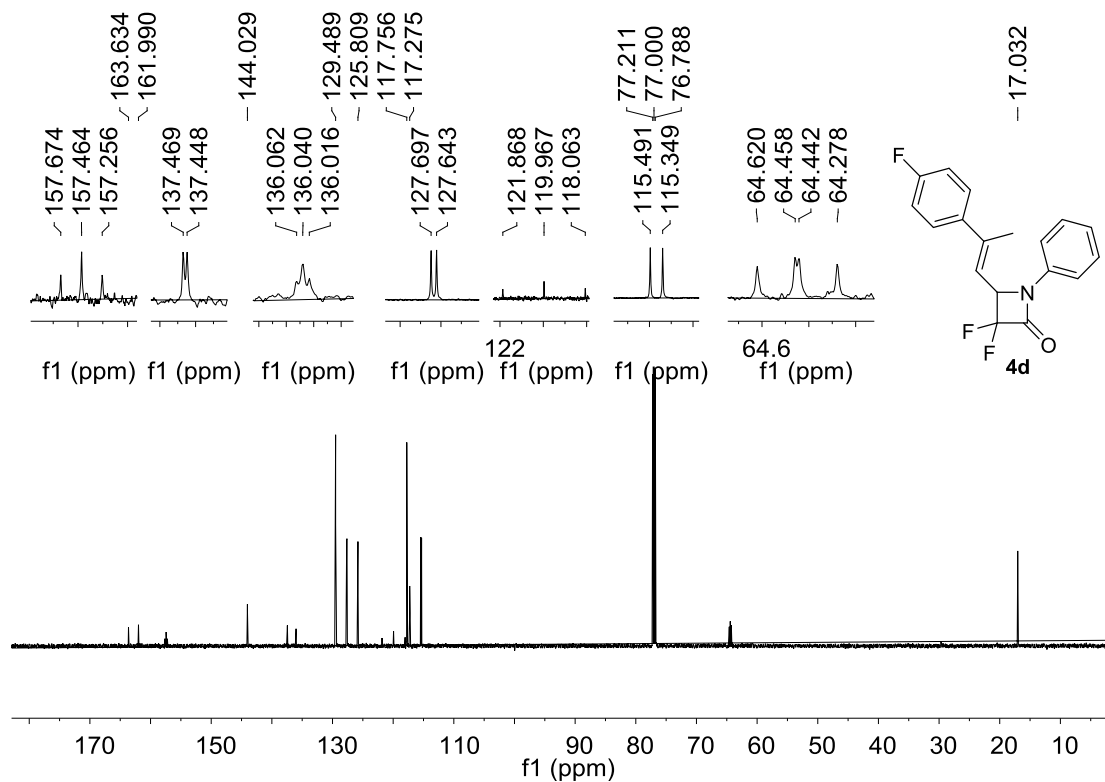
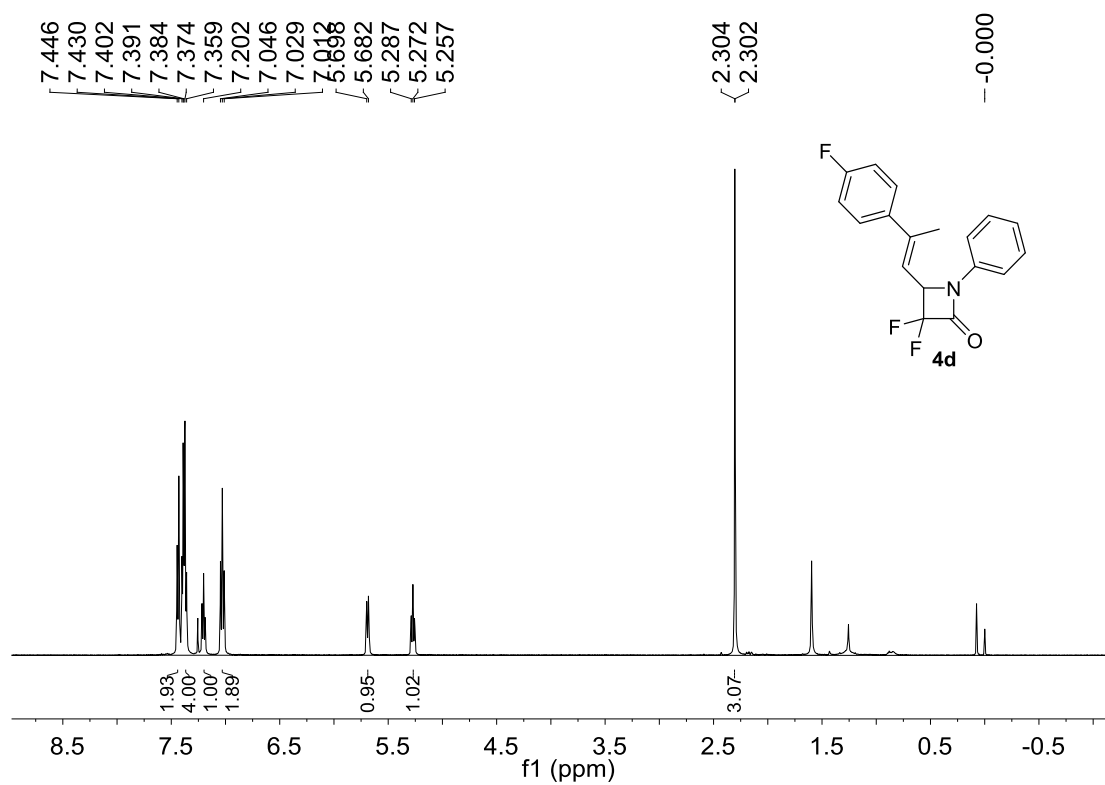


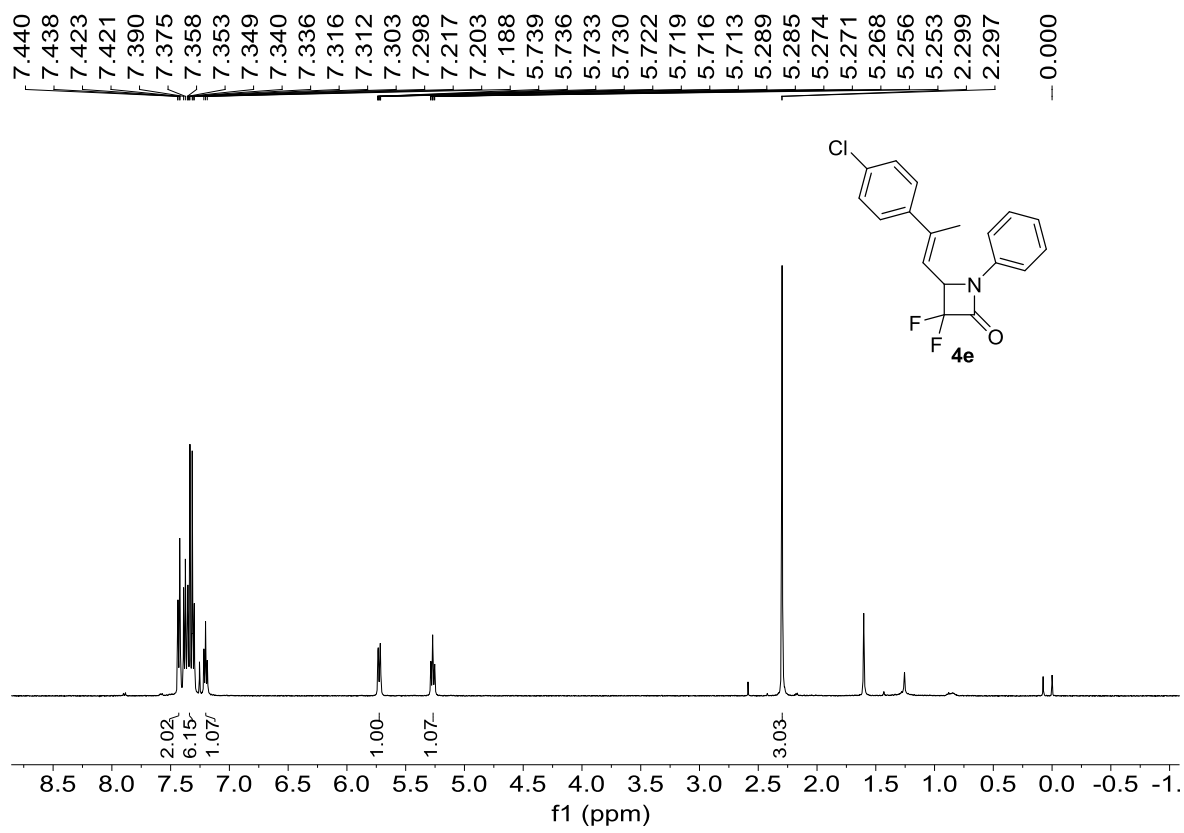
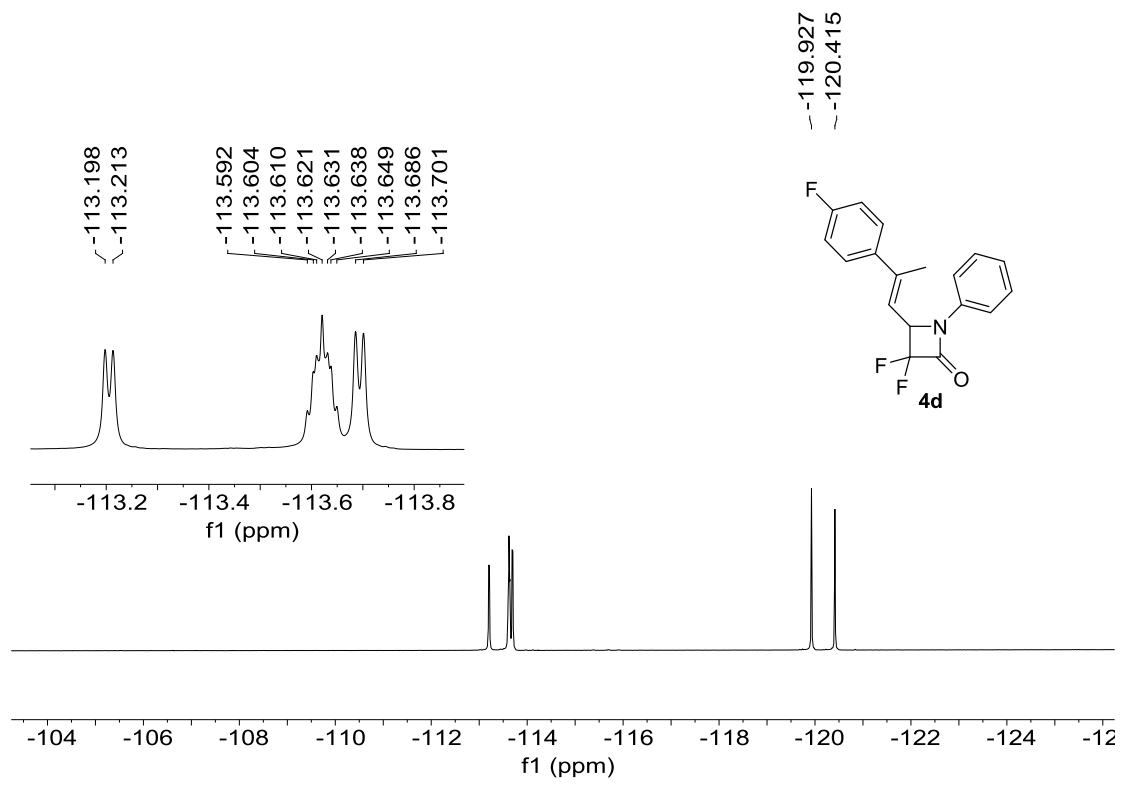


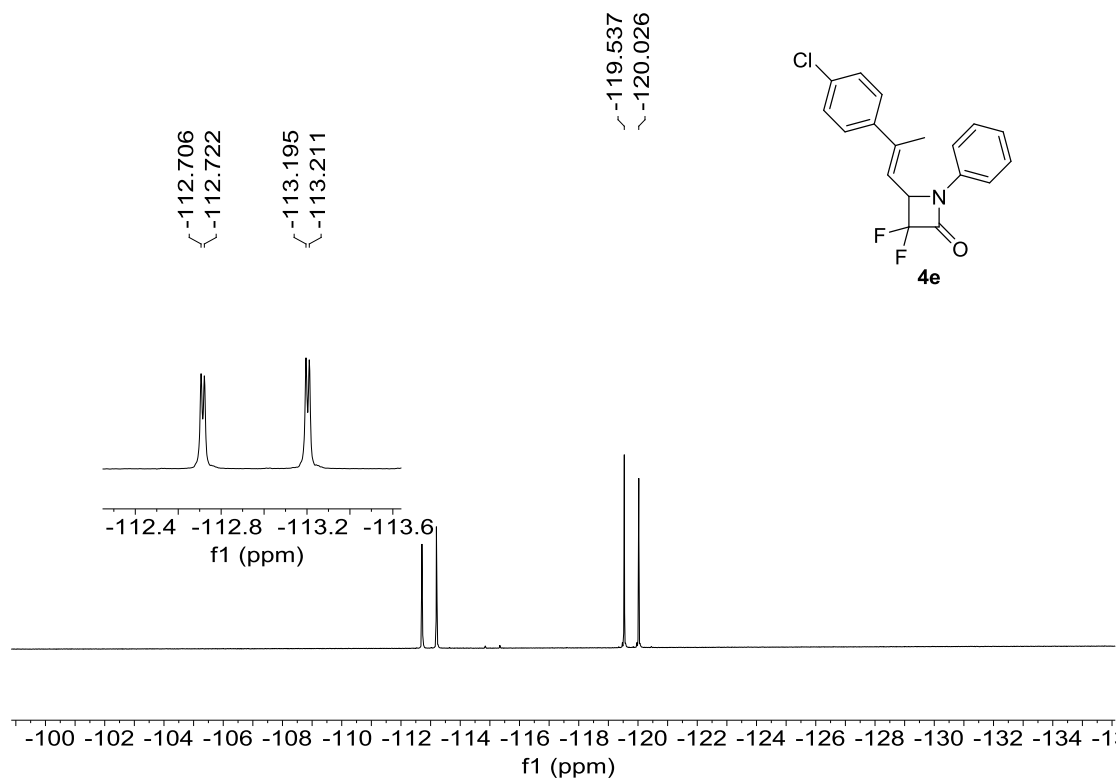
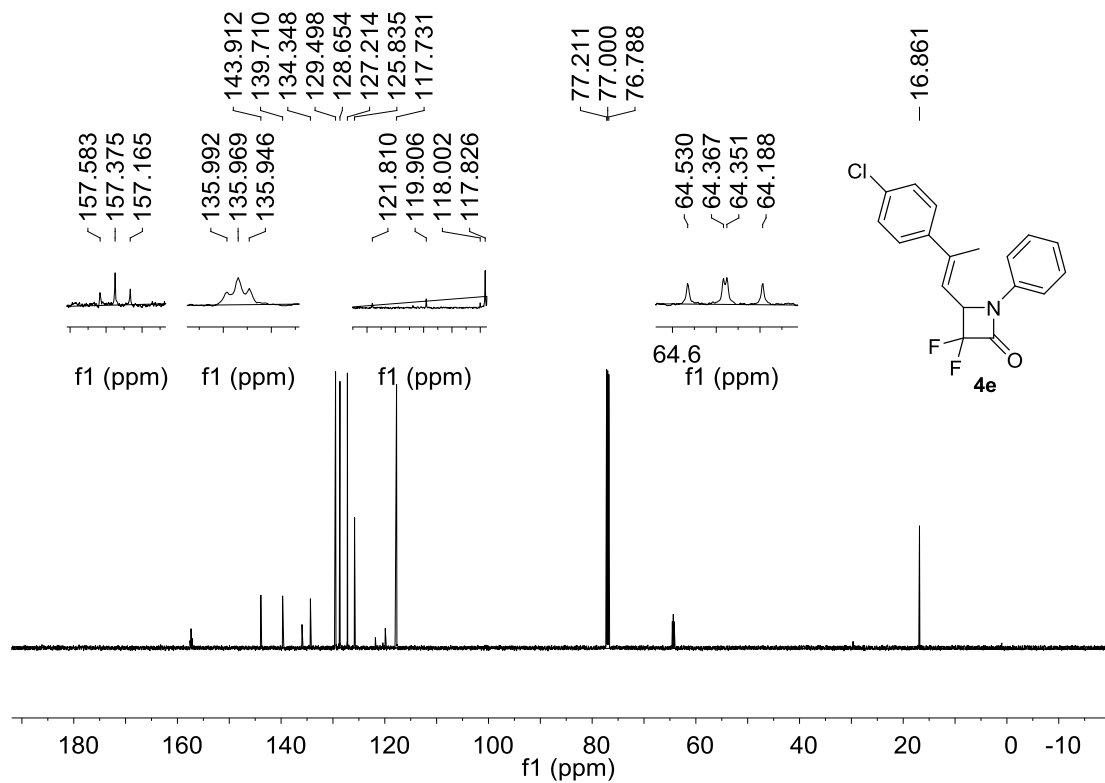


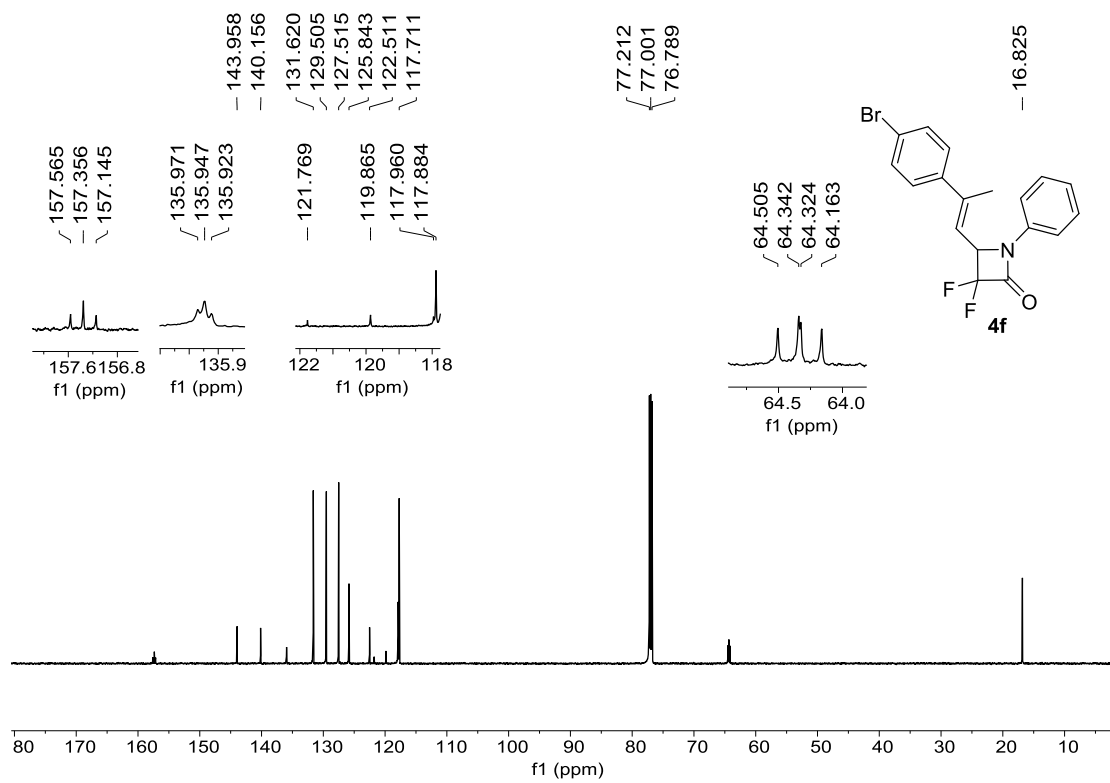
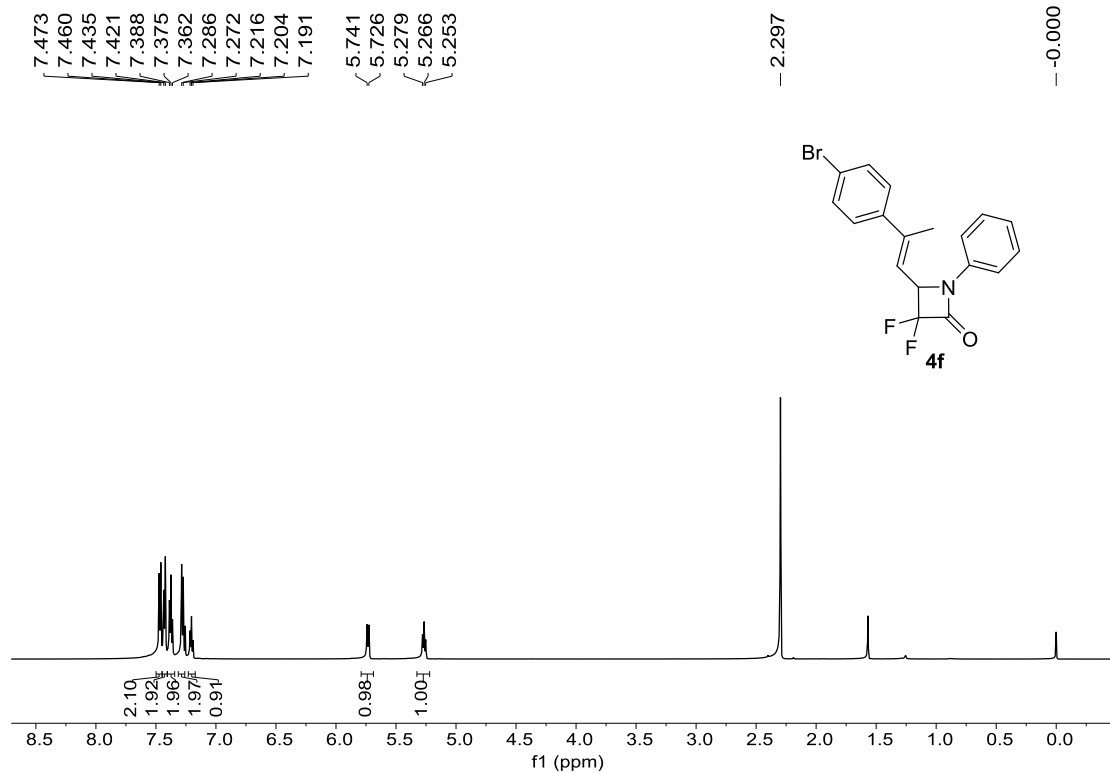


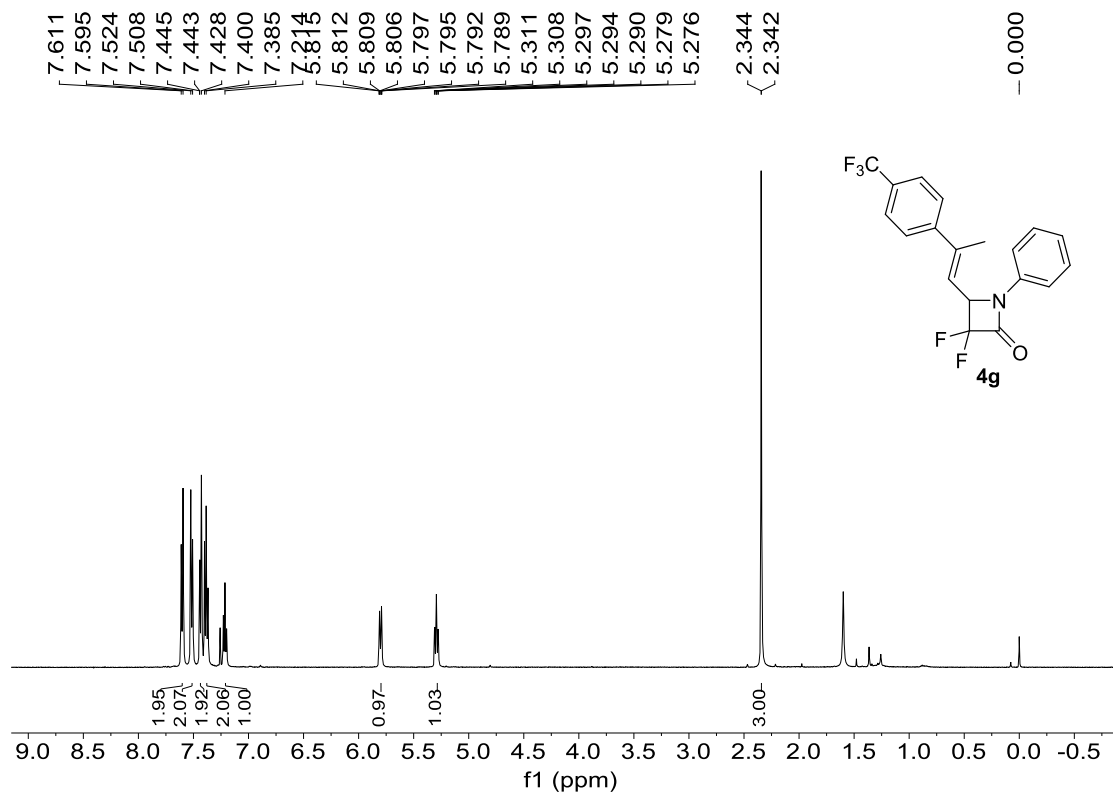
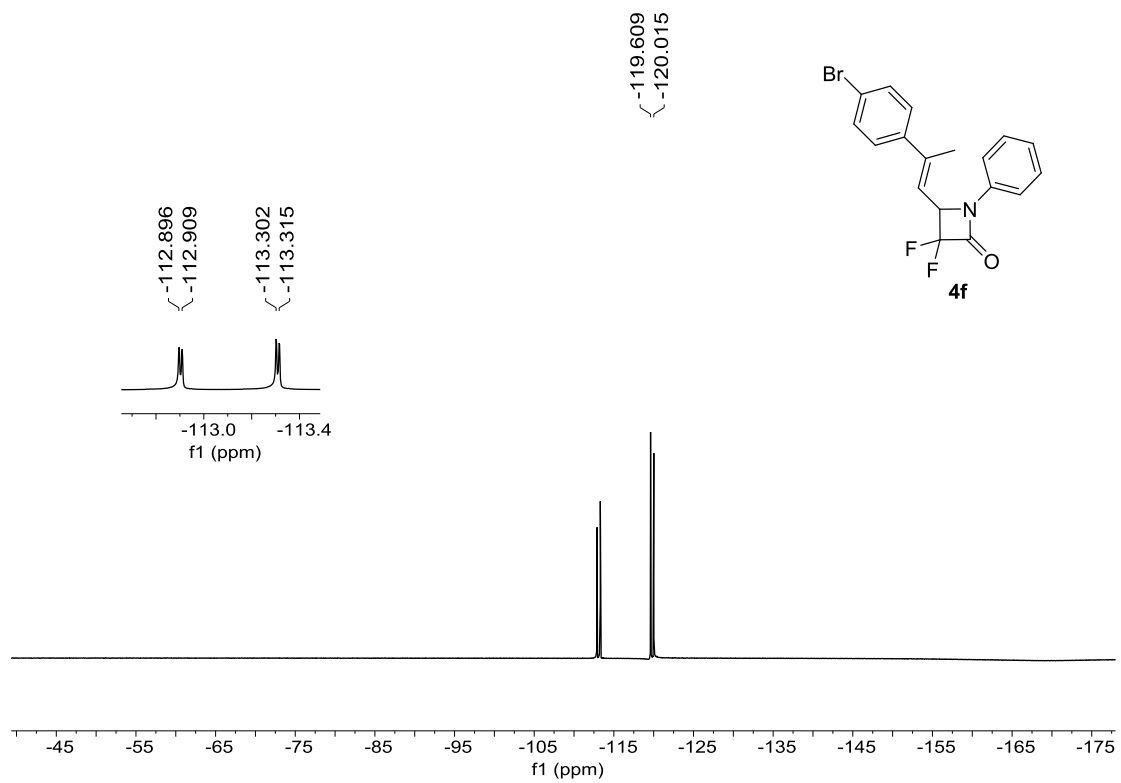


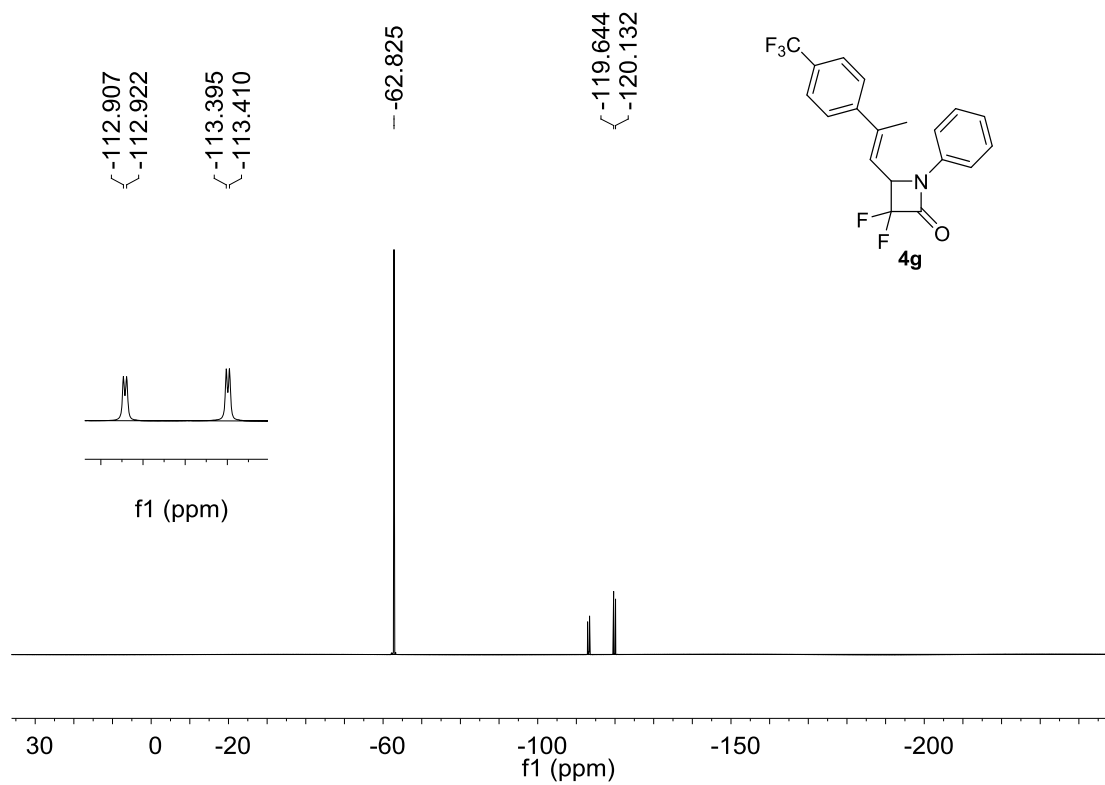
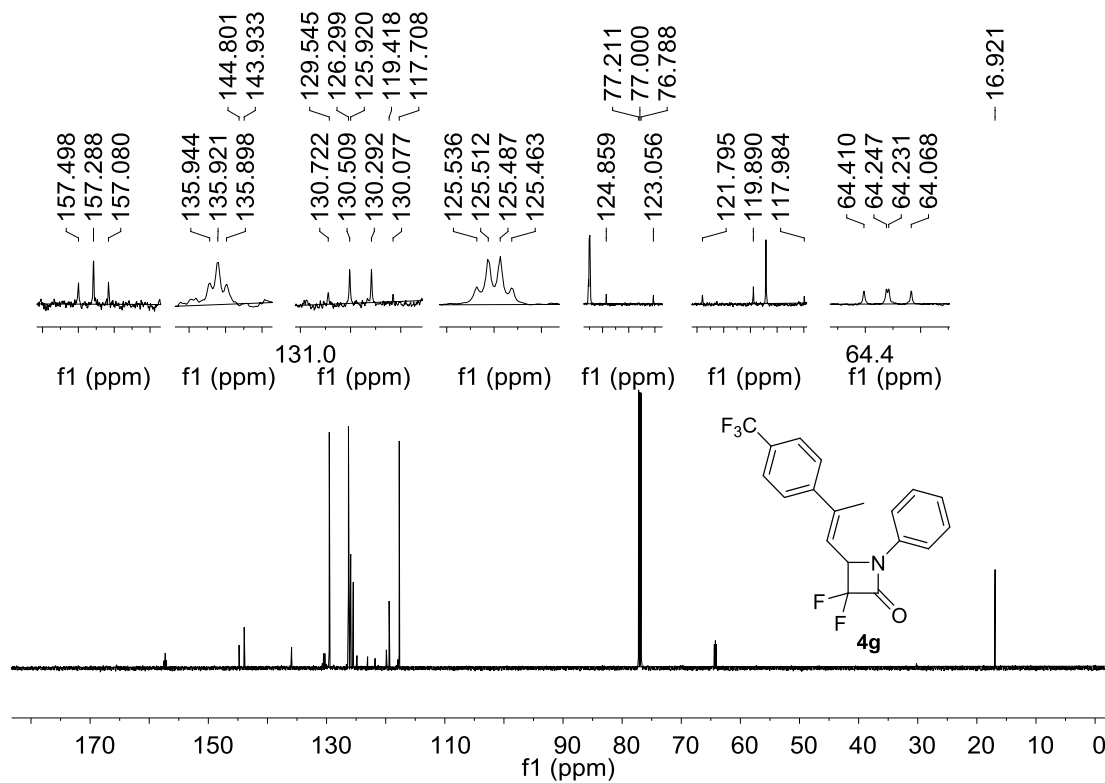


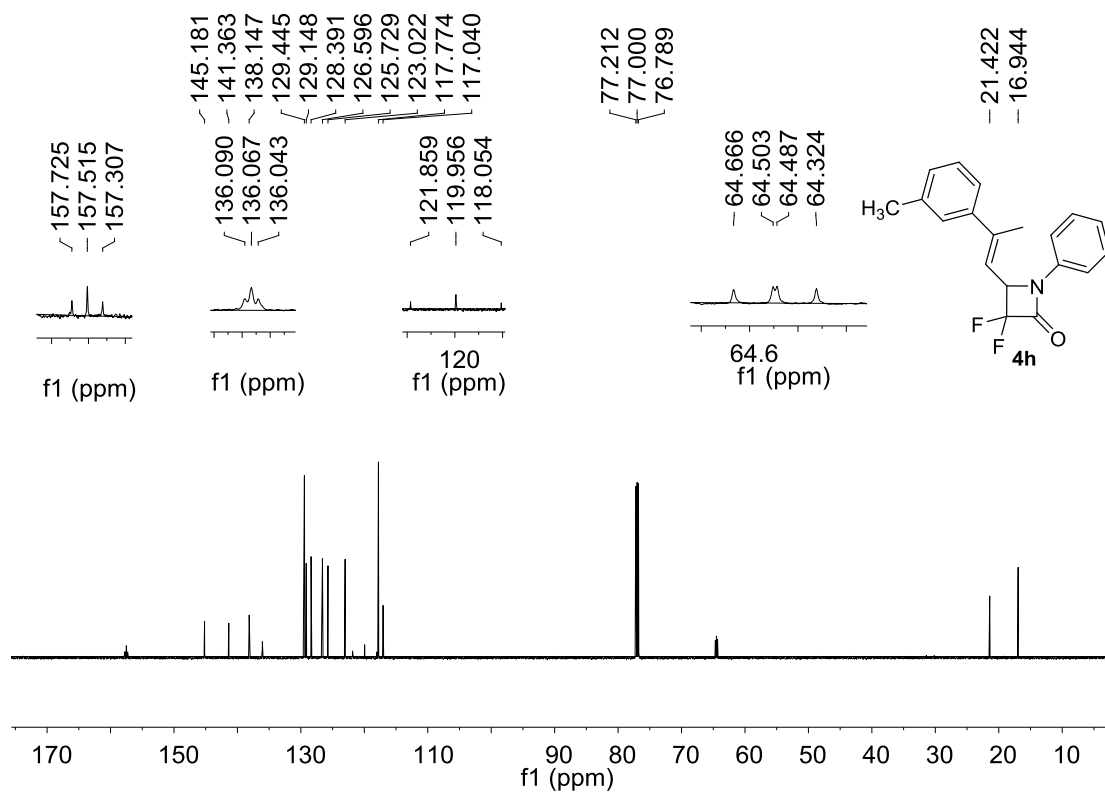
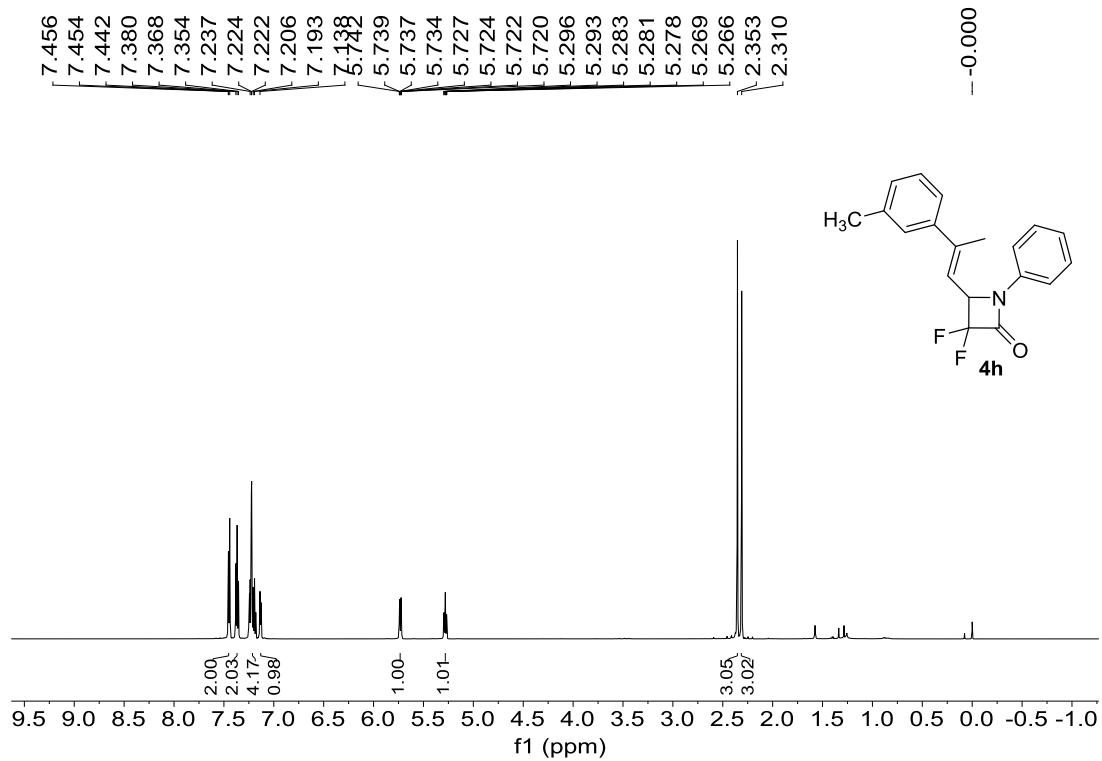


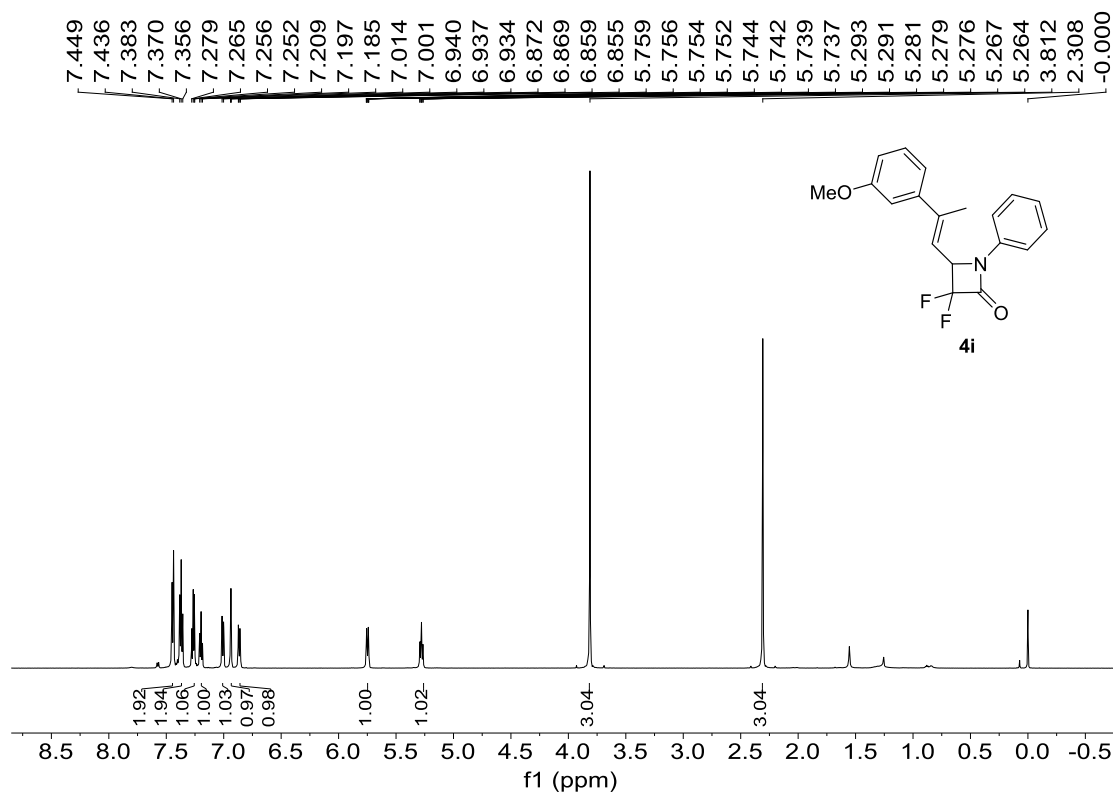
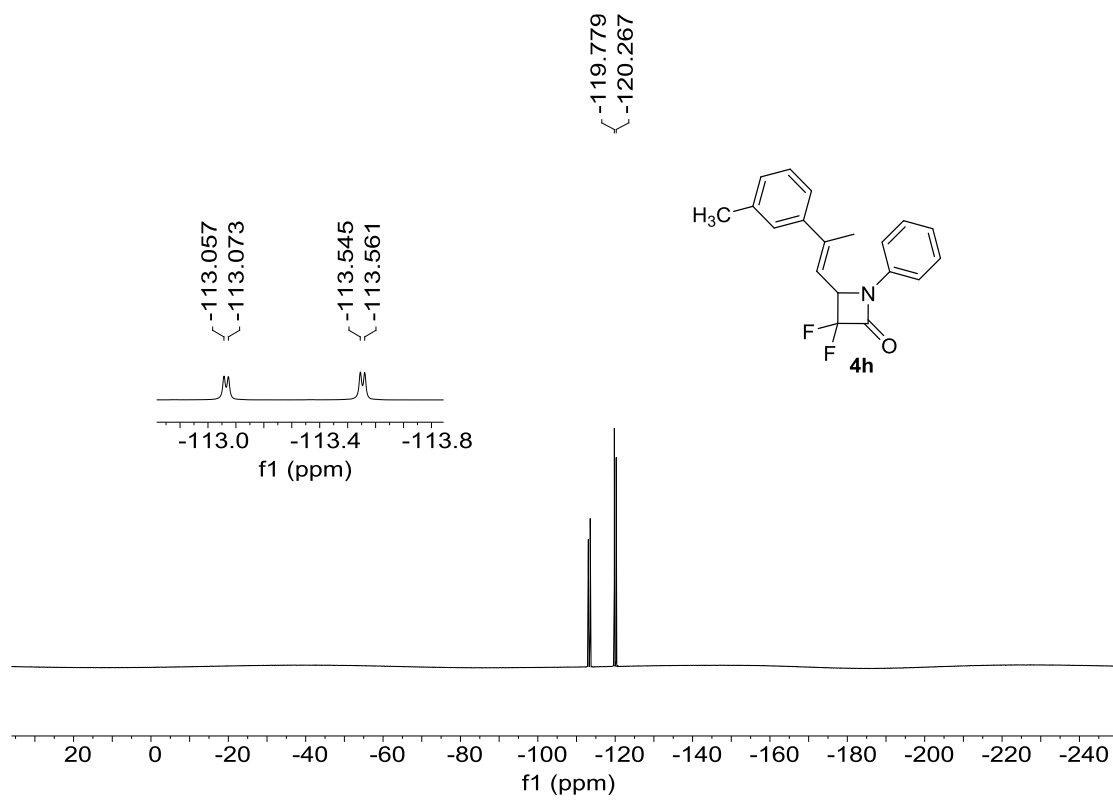




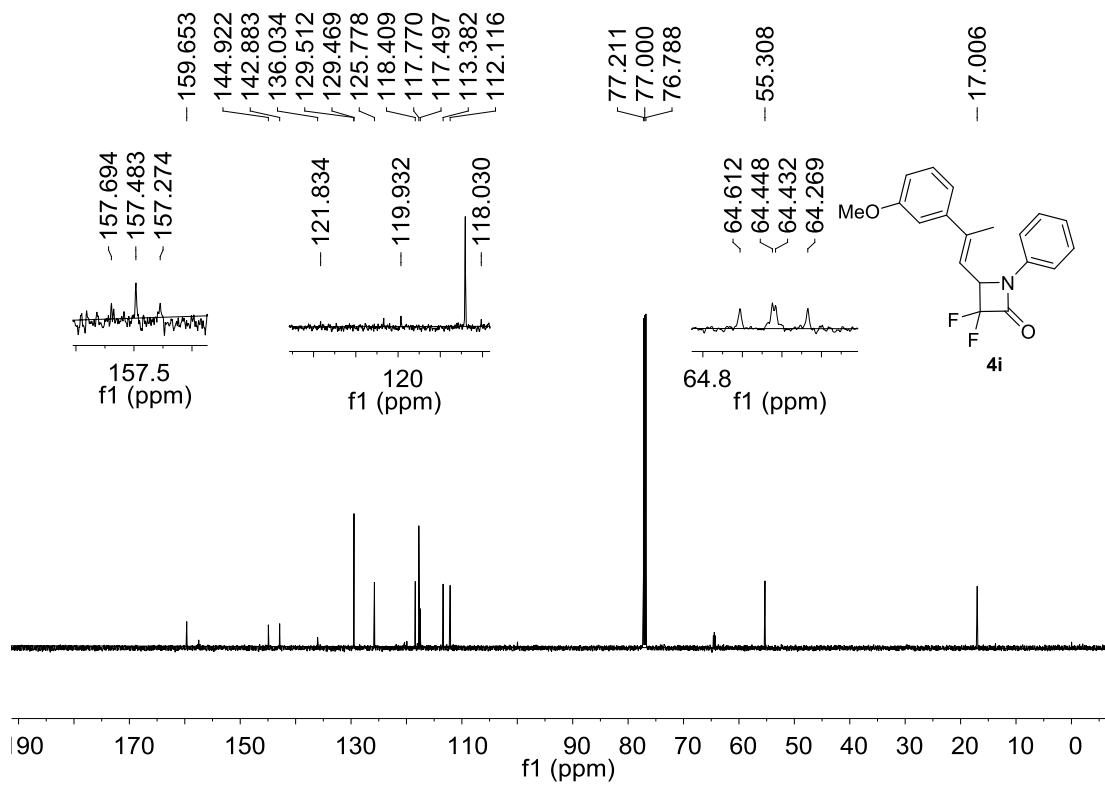


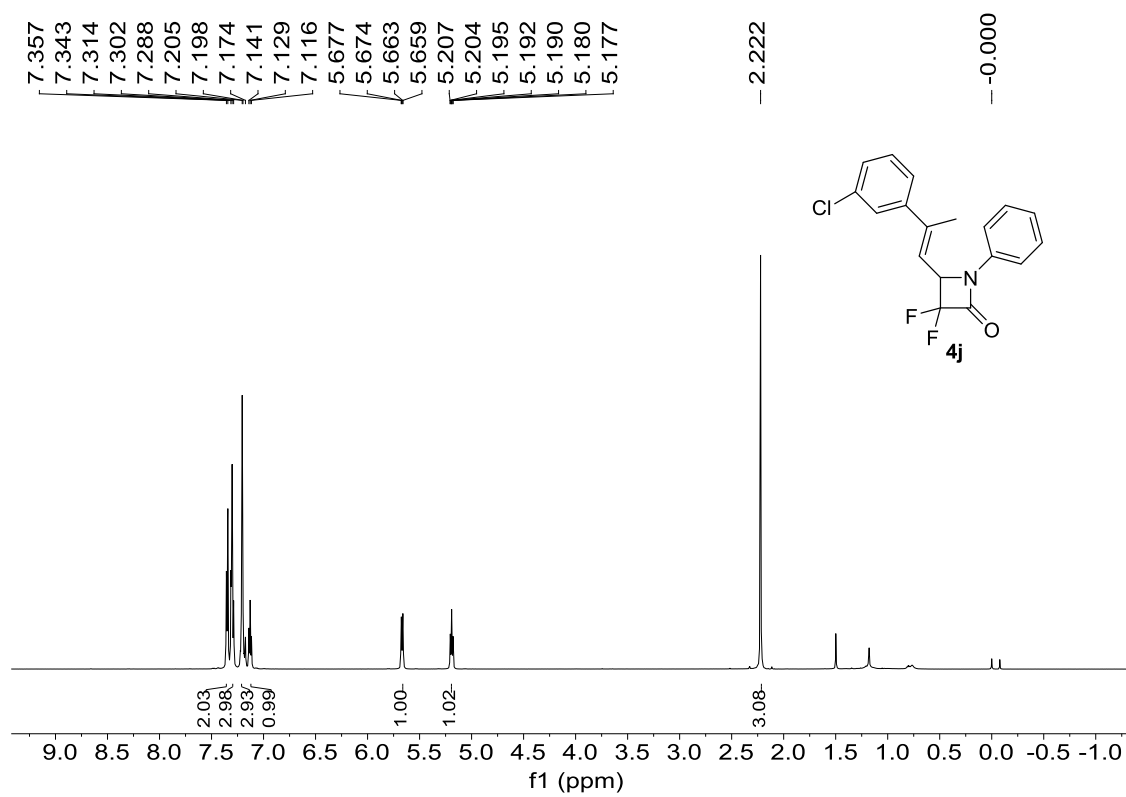
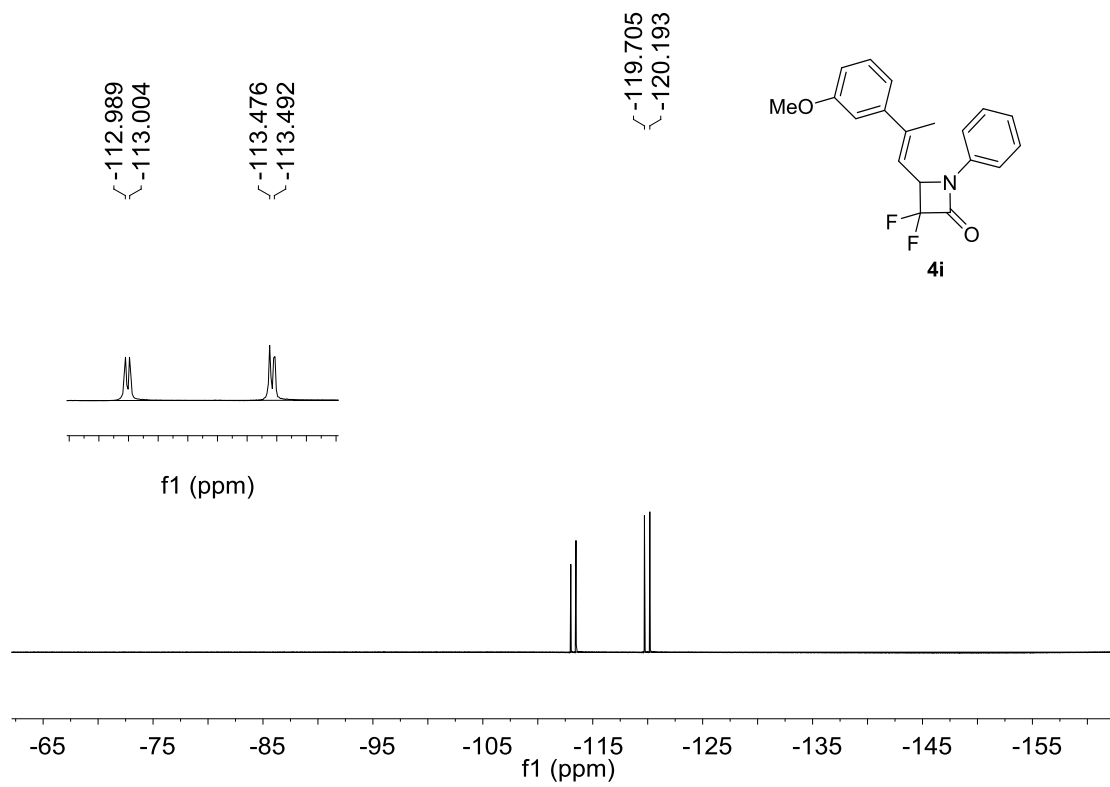


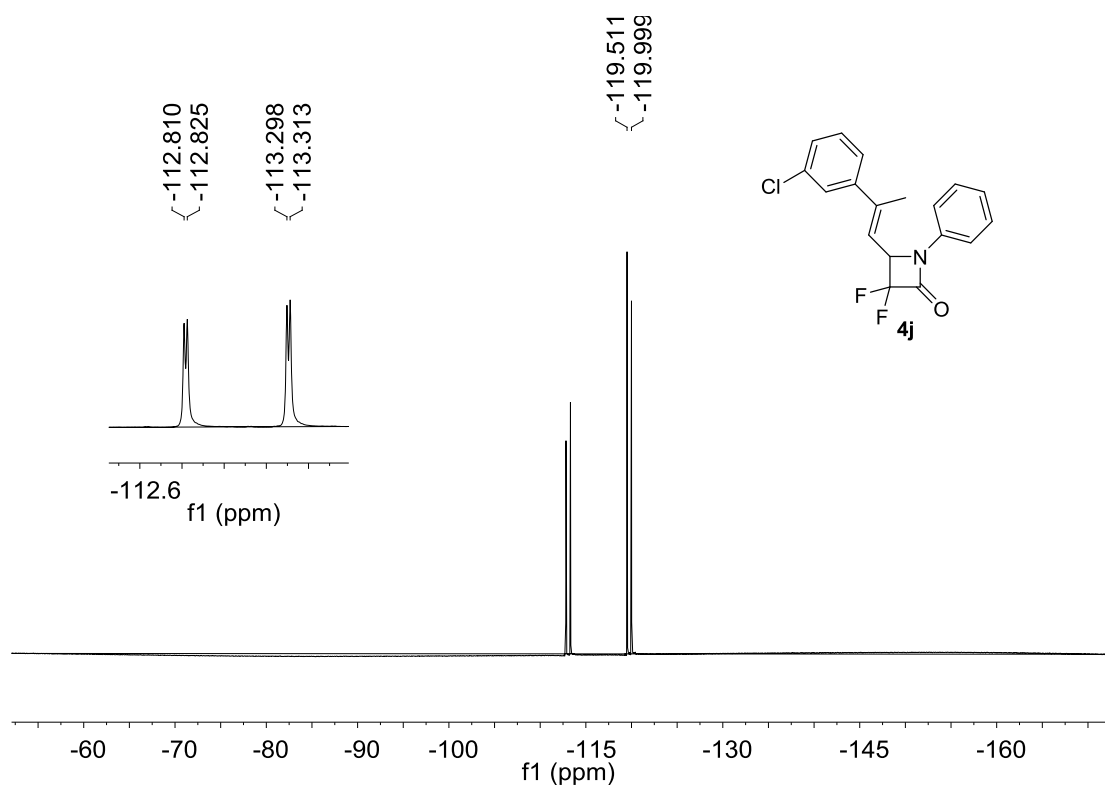
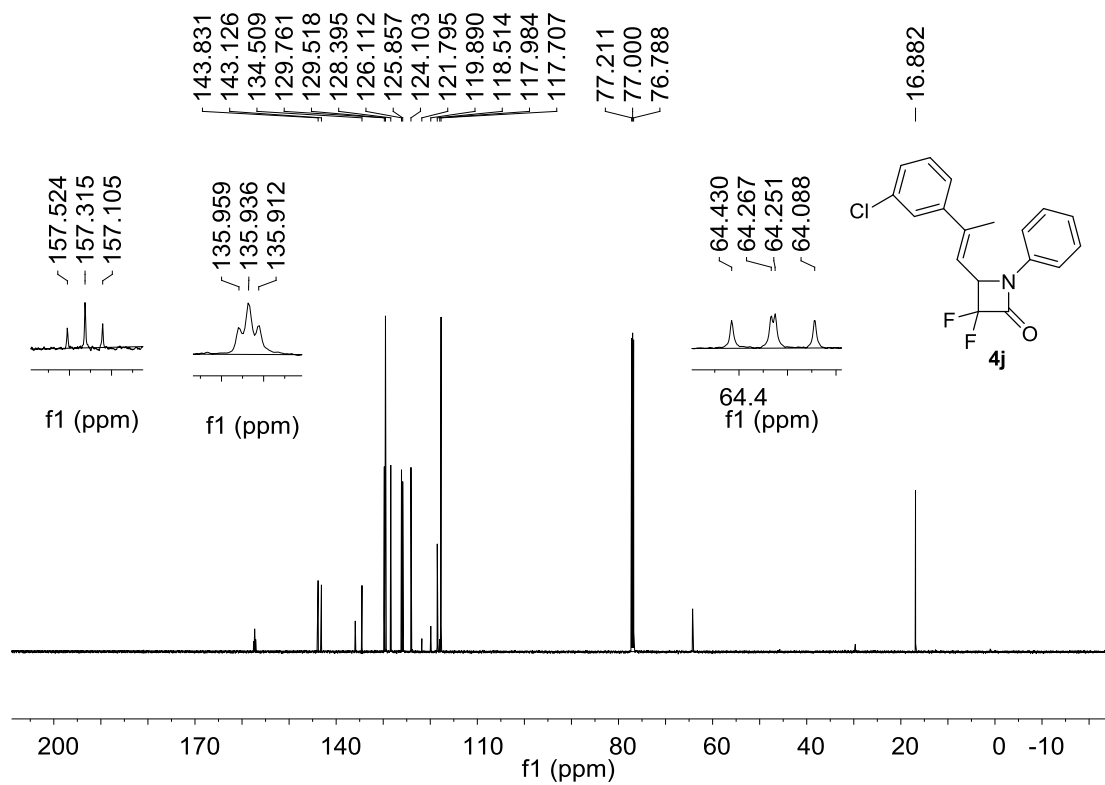


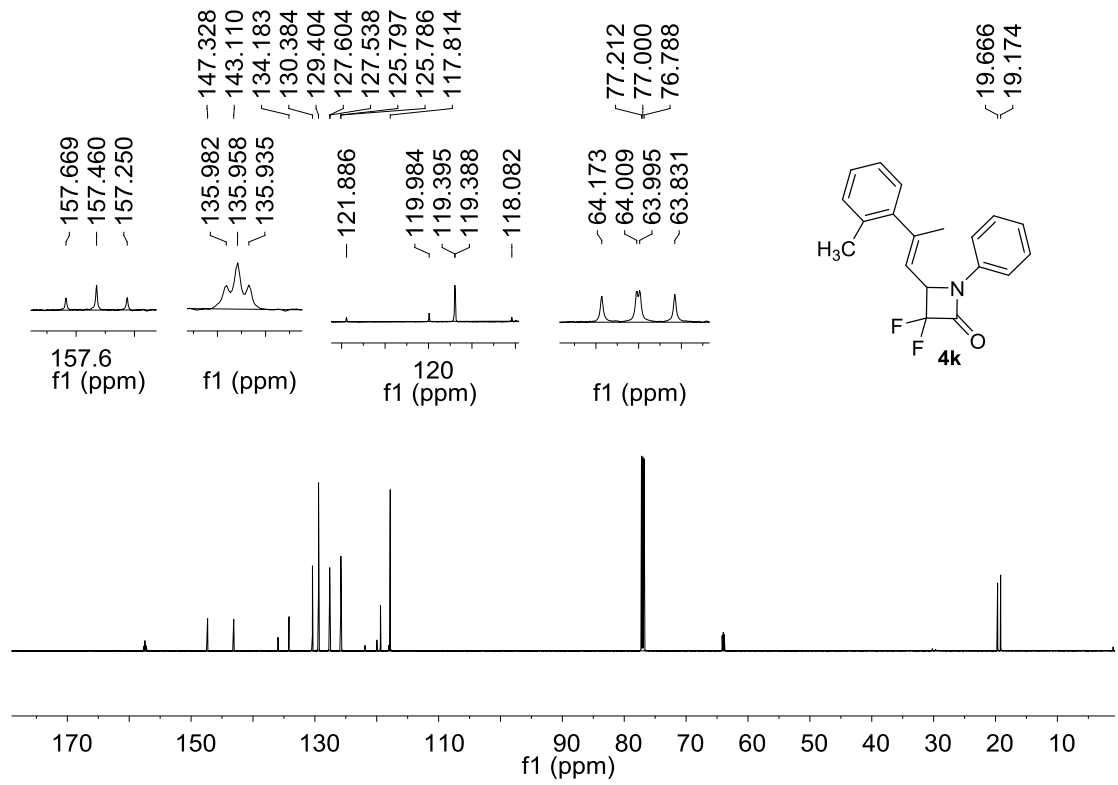
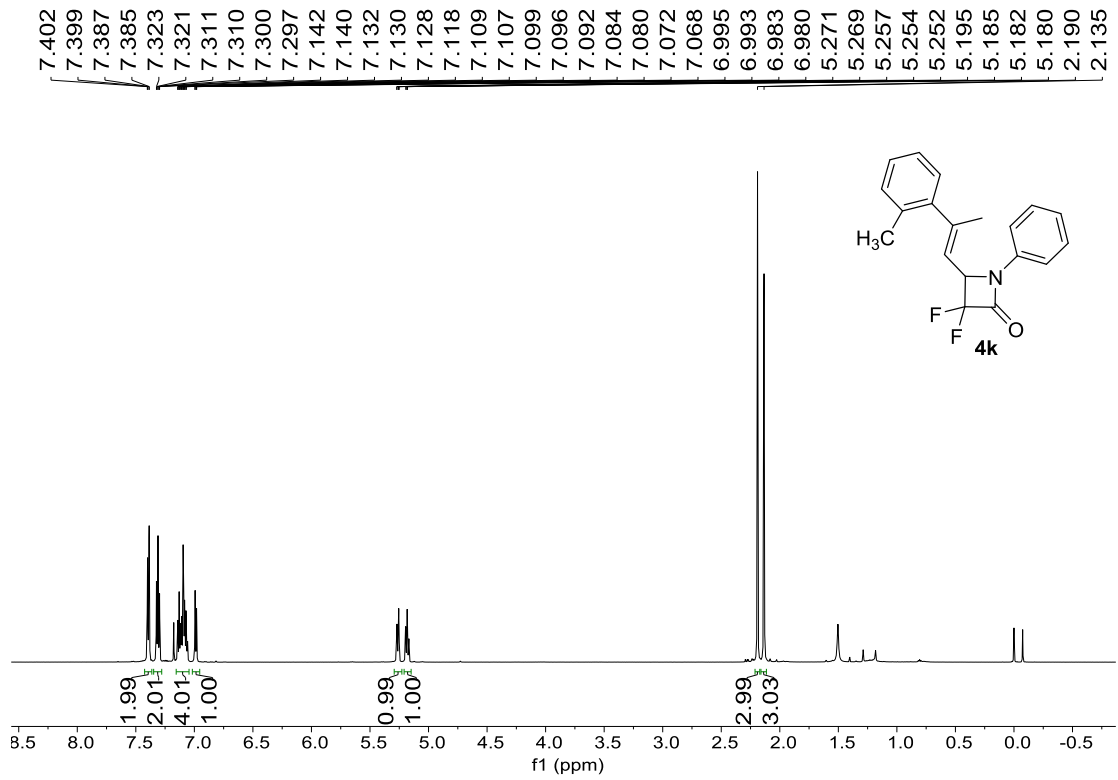


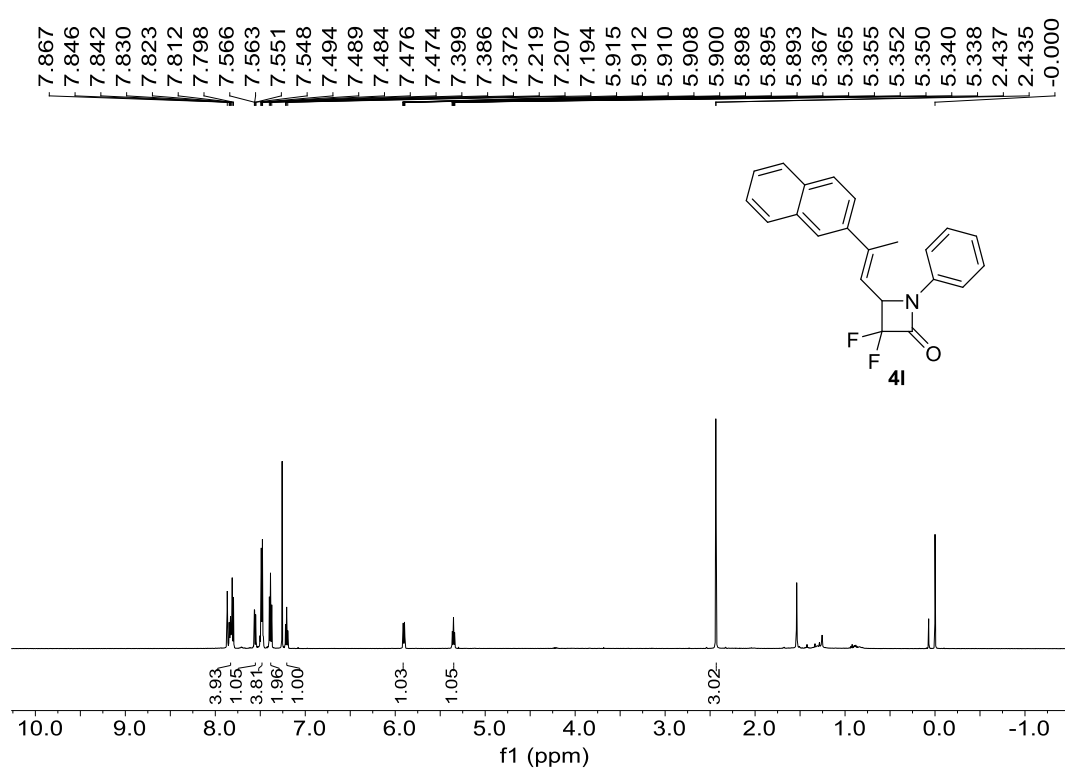
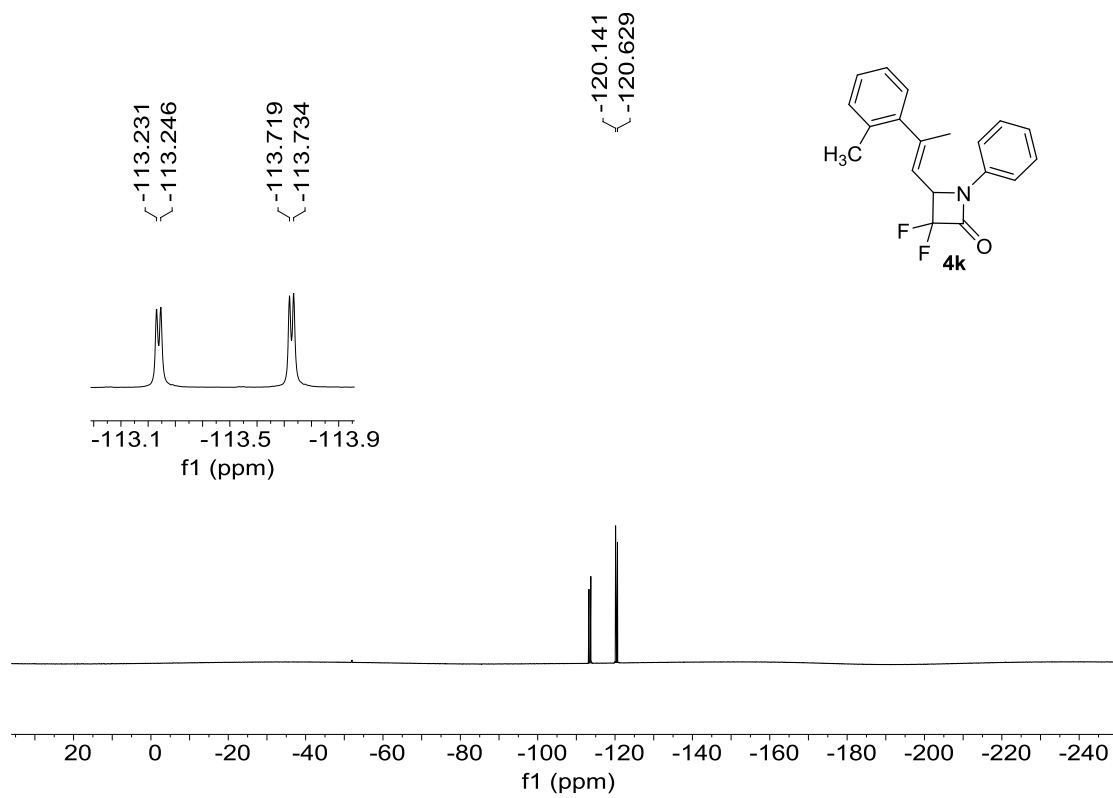


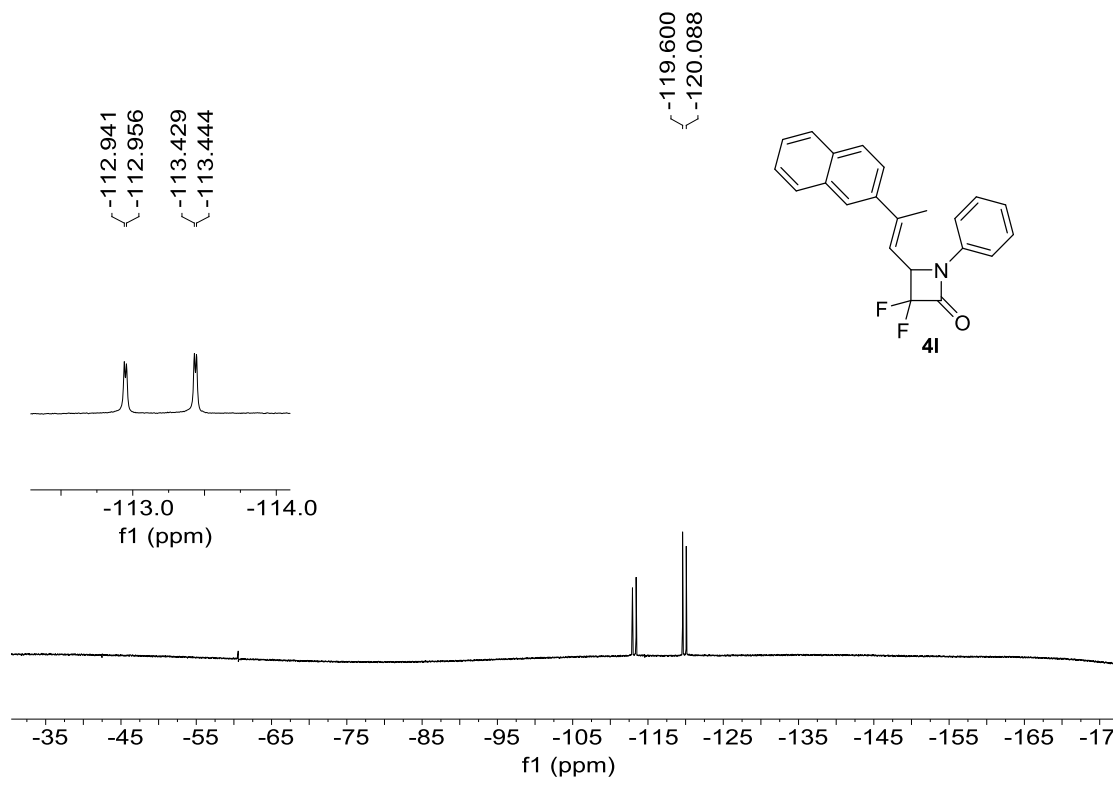
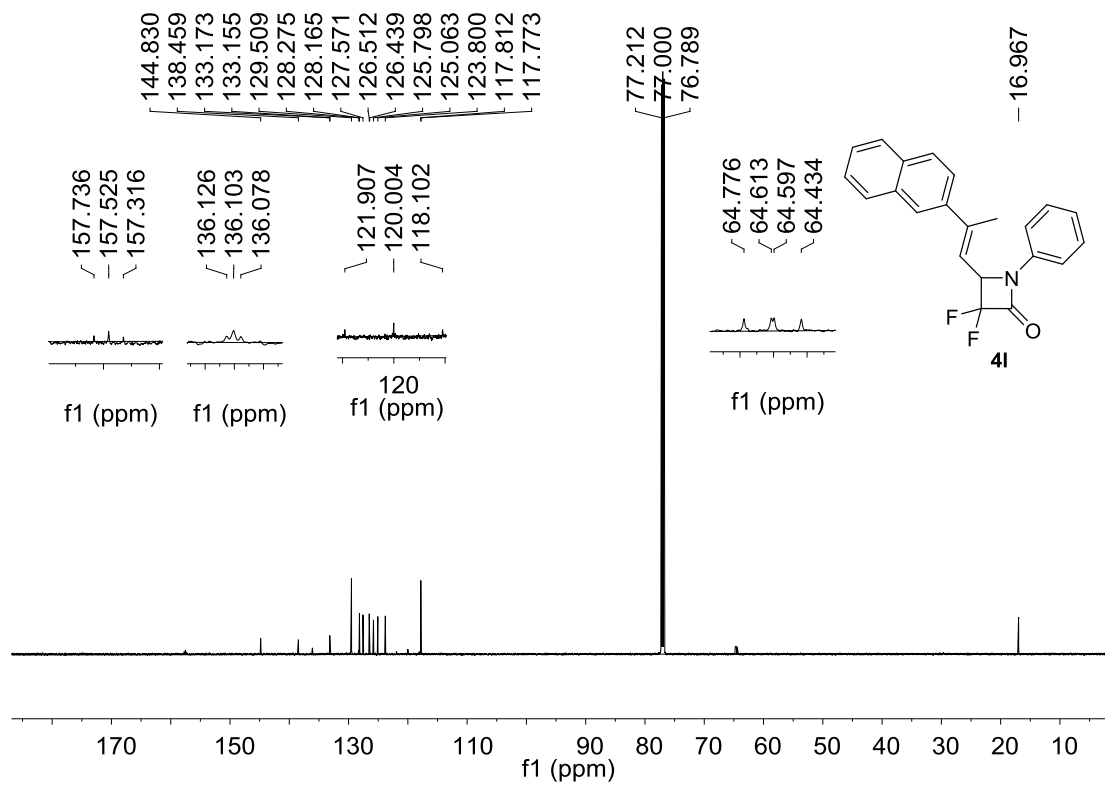


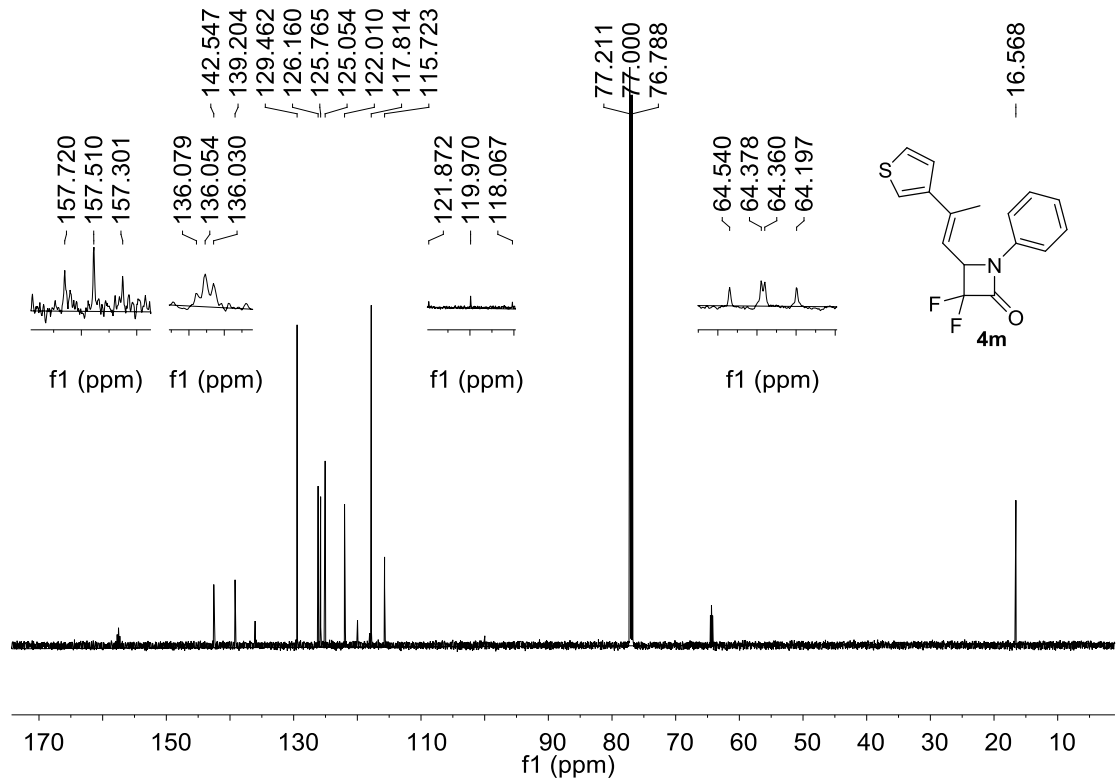
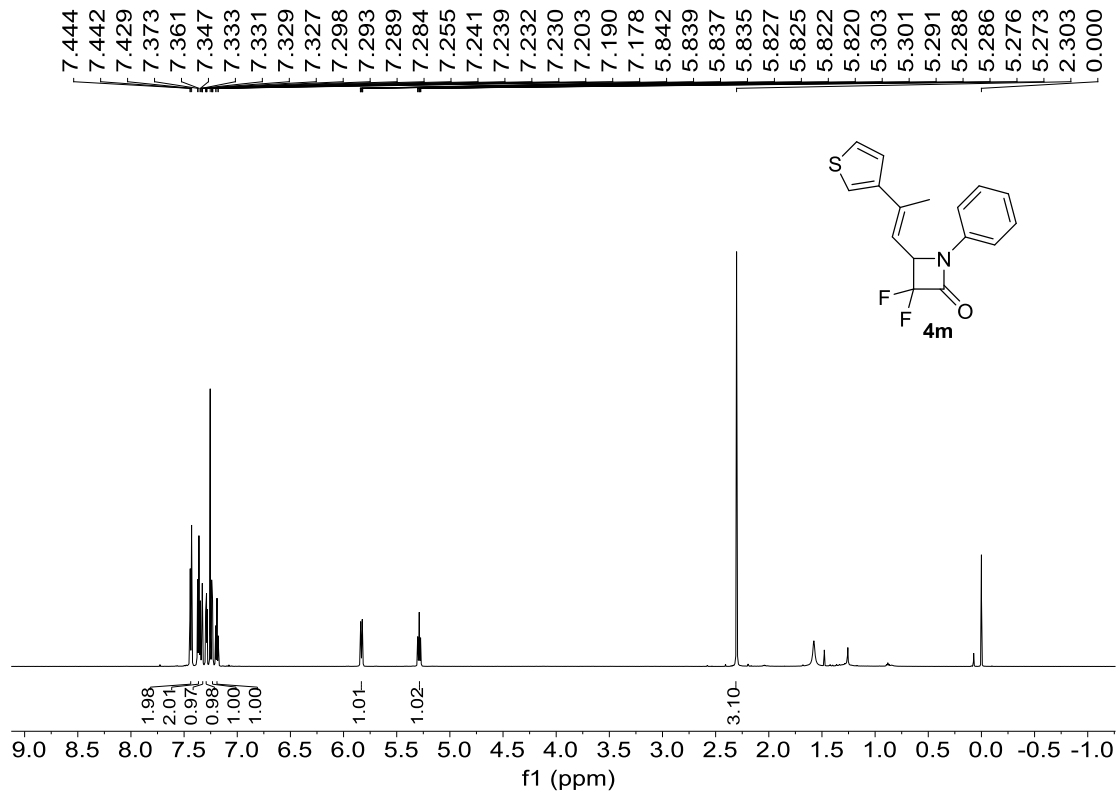


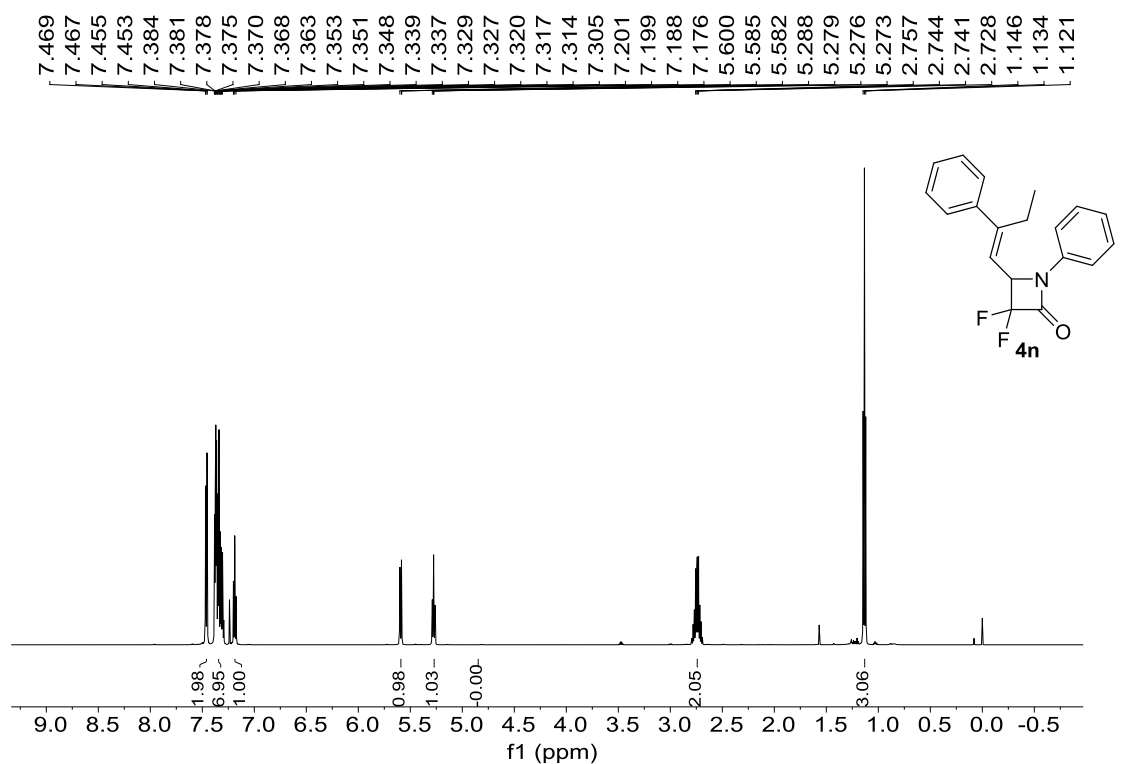
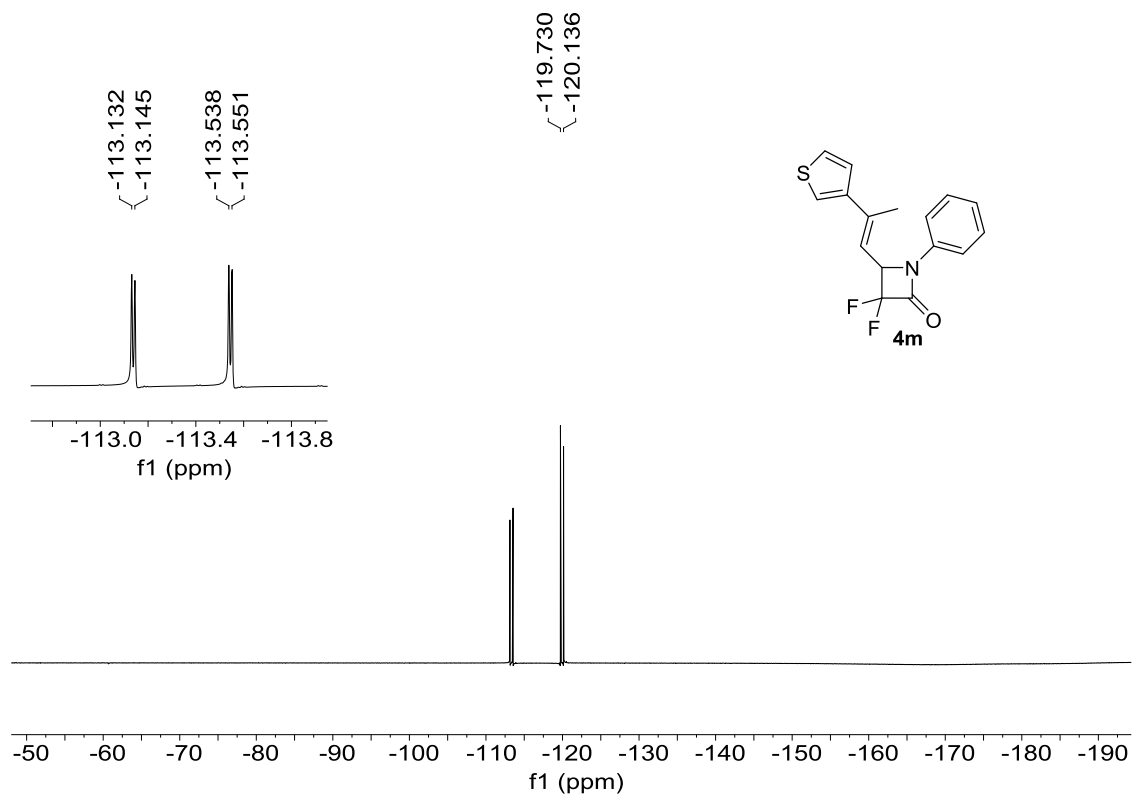




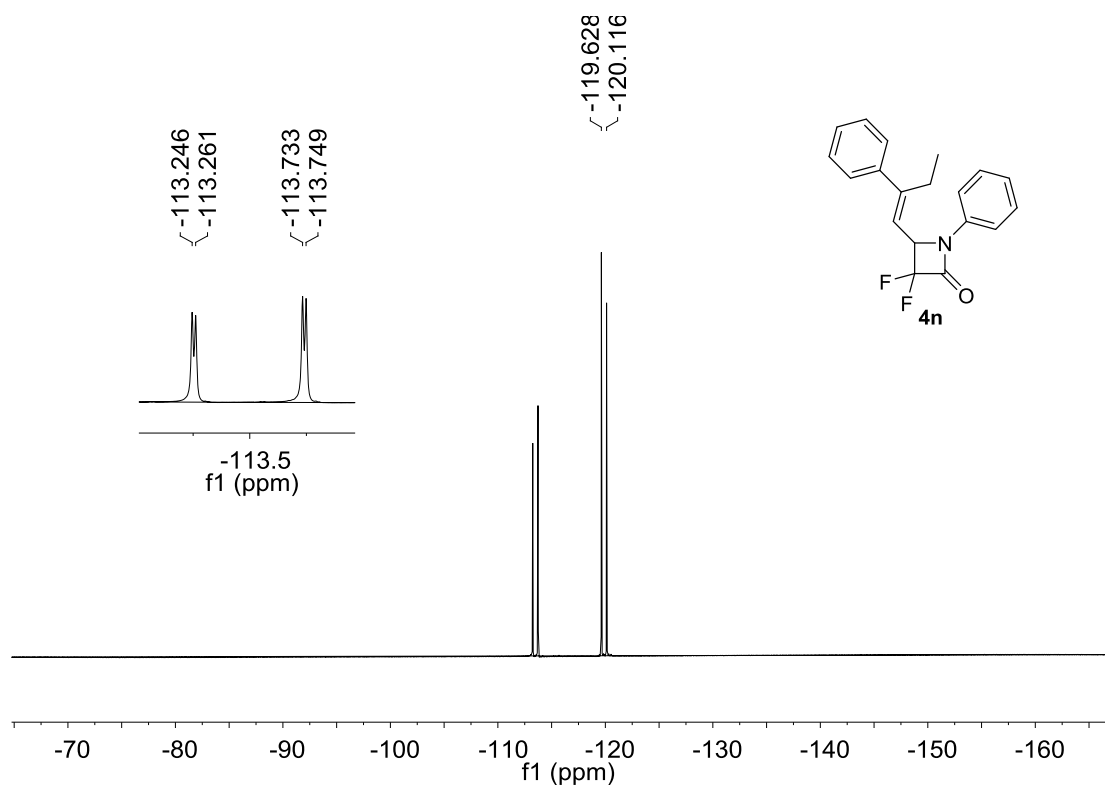
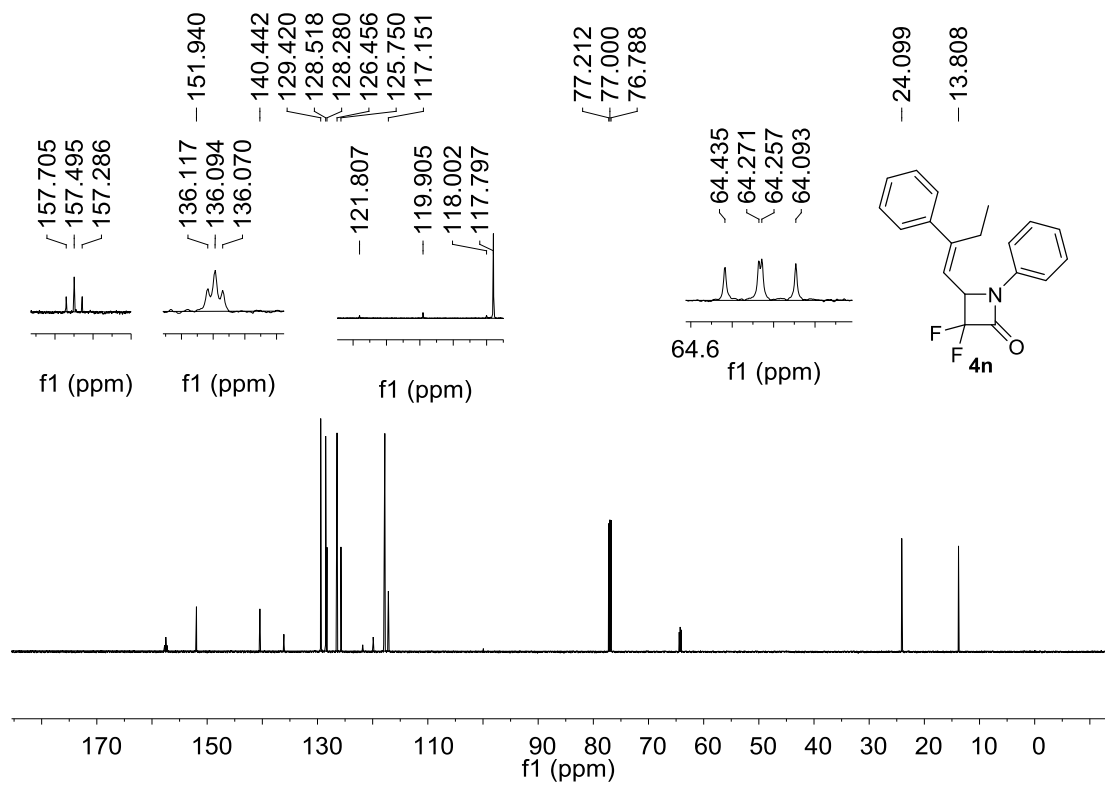


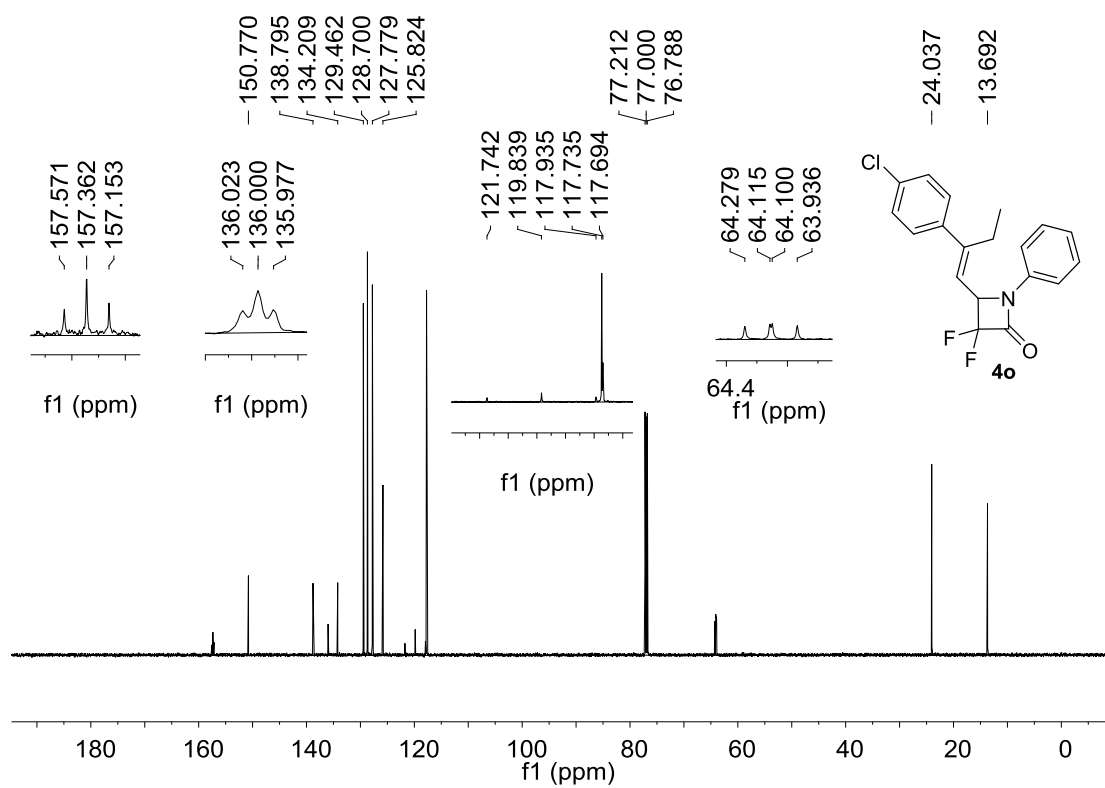
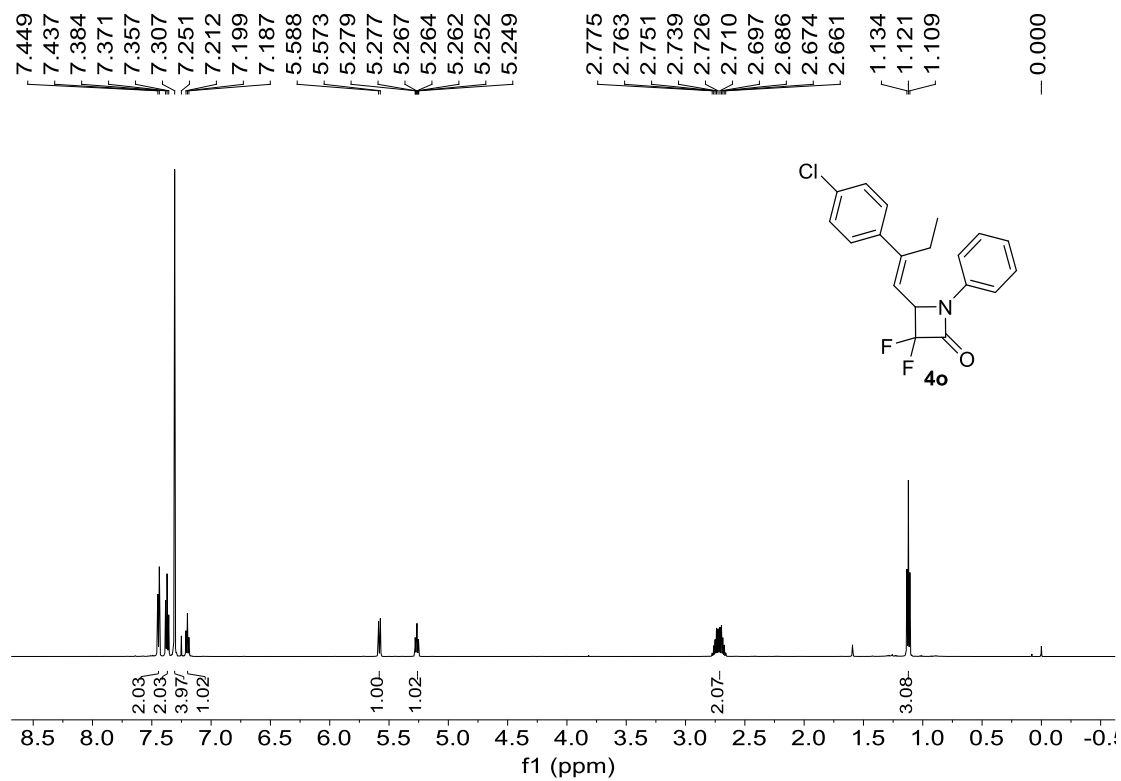


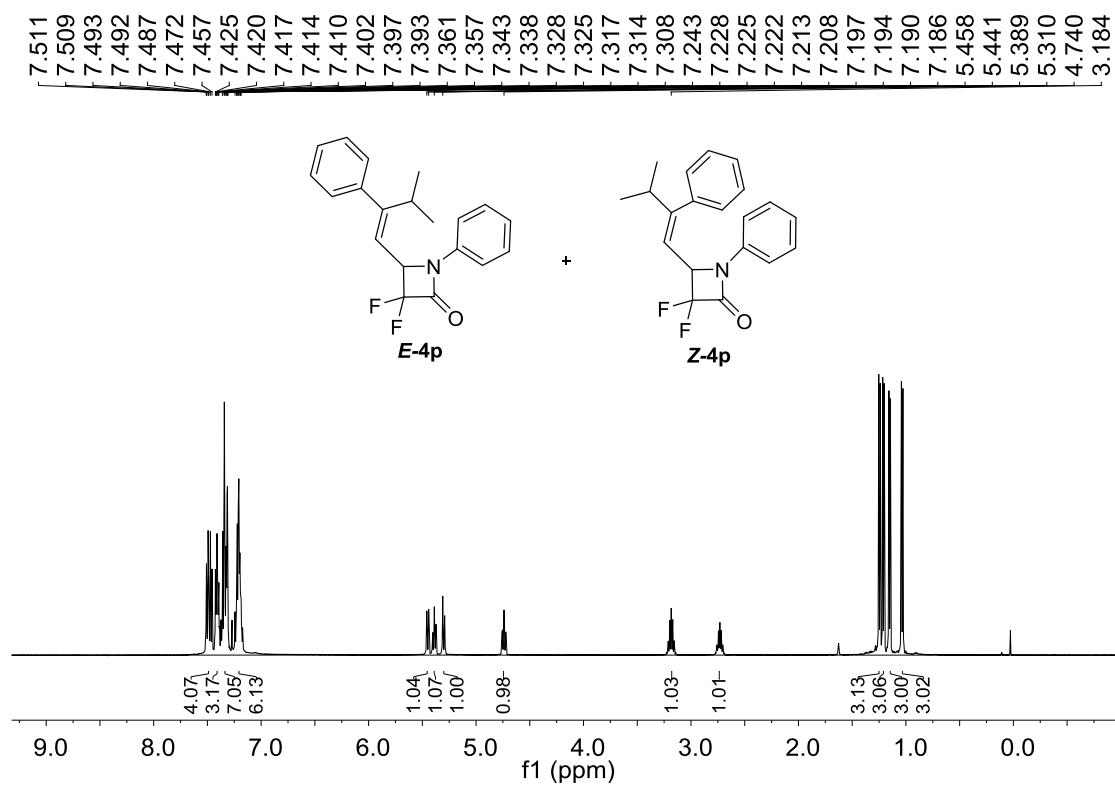
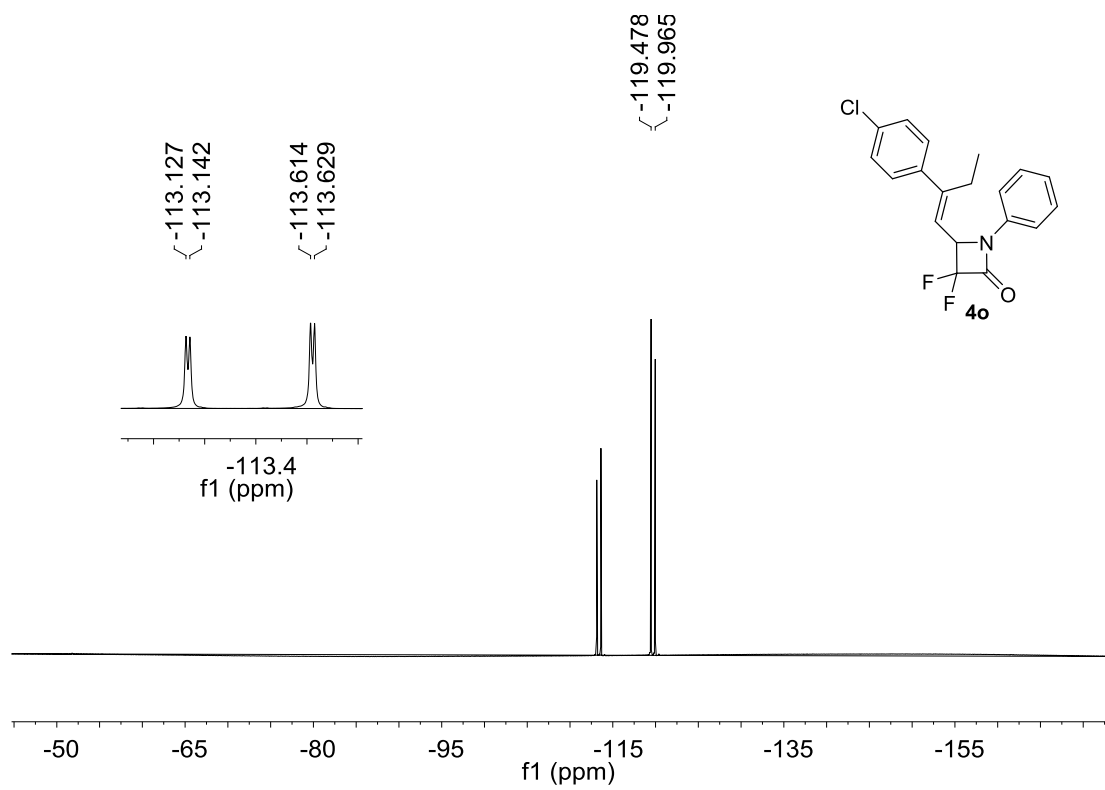


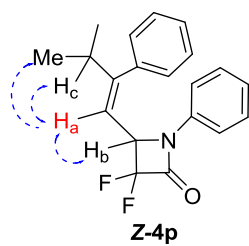
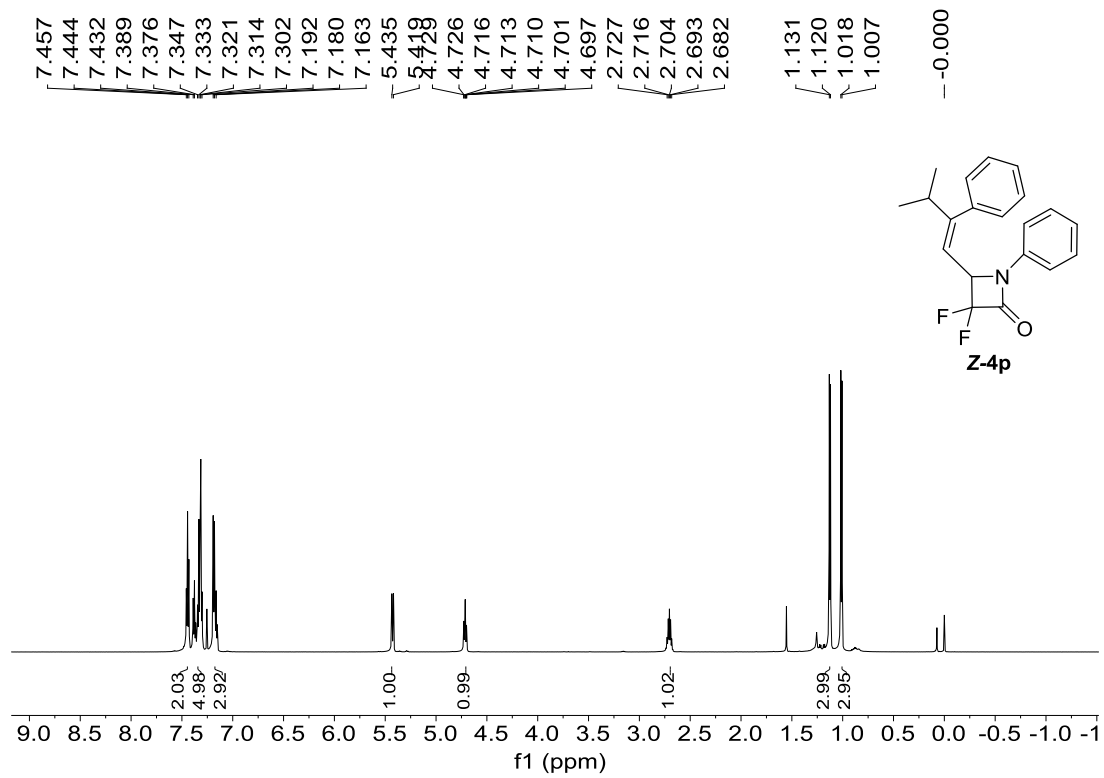












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