

Supporting information

Electrochemical Synthesis of Enaminones via a Decarboxylative Coupling Reaction

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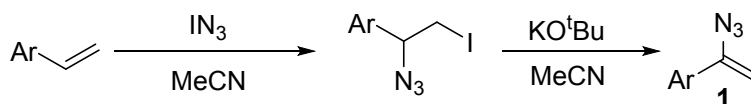
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1. General

Commercial reagents and solvents were obtained from the commercial providers and used without further purification. The products were purified using a commercial flash chromatography system or a regular glass column. TLC was developed on silica gel 60 F254 glass plates. ^1H NMR (600 MHz), ^{13}C NMR (150 MHz) and ^{19}F NMR (565 MHz) spectra were recorded on a Bruker NMR apparatus. The chemical shifts are reported in δ (ppm) values (^1H and ^{13}C NMR relative to CHCl_3 , δ 7.26 ppm for ^1H NMR and δ 77.0 ppm for ^{13}C NMR). Or alternatively, ^1H NMR chemical shifts were referenced to tetramethylsilane signal (0 ppm). Multiplicities are recorded by s (singlet), d (doublet), t (triplet), q (quartet), p (pentet), h (hextet), m (multiplet) and br (broad). Coupling constants (J), are reported in Hertz (Hz). Commercial reagents were used without any further purification.

2. General procedures for the synthesis of starting material

2.1 Preparation of azido alkenes ²



The azido alkenes **2** were prepared from the corresponding alkenes in the presence of IN_3 by the known procedure.² To a stirred solution of ICl (2.2 mmol) in MeCN (4 mL) was added NaN_3 (4 mmol) at rt, 1.5 h later, the reaction mixture was cooled to 0 °C, a solution of alkene (2 mmol) in MeCN (4 mL) was added. After 5 h later, the mixture was partitioned between ether and H_2O , the organic phase was separated, dried over Na_2SO_4 and concentrated. The crude reaction mixture was dissolved in Et_2O (6 mL), then KO^tBu (3.1 mmol) was added. The reaction mixture was stirred for 5 h at rt, then partitioned between ethyl acetate and H_2O , the organic phase was separated, dried over Na_2SO_4 and concentrated. The residue was chromatographed to afford the azido alkene **1**.

Because organo azides are generally considered as unstable compounds, we conducted the thermogravimetry (TGA) and differential scanning calorimetry (DSC) of several the vinyl azide substrates (Figure S1). Vinyl azide substrates tended to decompose at above 90 °C. Therefore, the storage of **1** in a freezer is recommended. In our cases, **1** could be stored in a freezer (-20 °C) without detectable decomposition for several months. According to an early report on kinetics of thermolysis of vinyl azides (*J. Org. Chem.*, **1986**, 3176), thermolysis of vinyl azides gives 1-azirine as the product. For thermolysis of vinyl azide **1a**, $\Delta\text{H} = 26.8$ kcal/mol, $\Delta\text{G} = 27.3$ kcal/mol, the thermolysis process is an endothermic process.

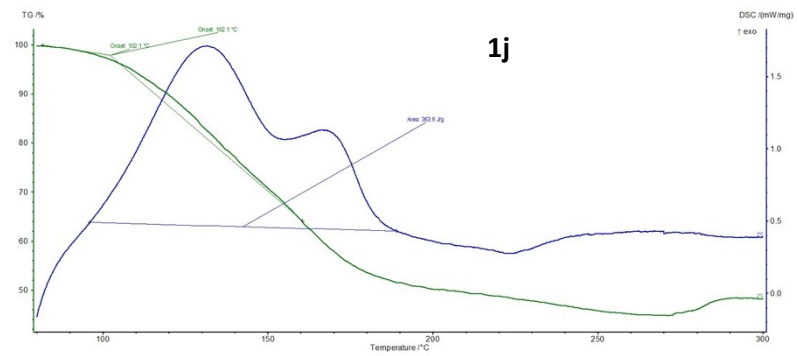
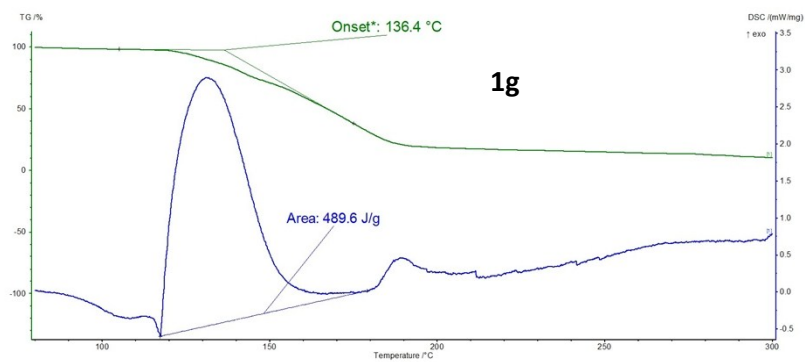
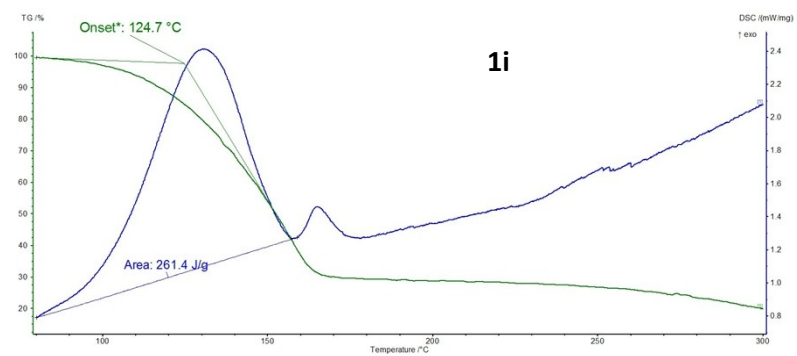
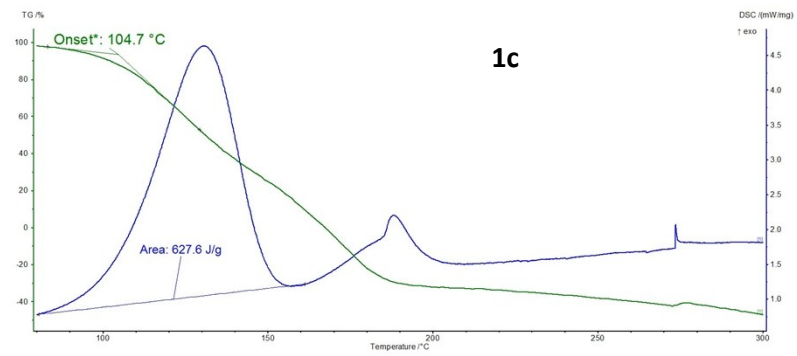
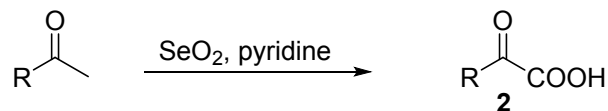


Figure S1. TGA-DSC of vinyl azides **1**.

2.2 Preparation of α -oxocarboxylic acids **2**¹.



α -Oxocarboxylic acids **1** were prepared using a literature procedure (the oxidation of corresponding methyl ketones with SeO₂).¹ Methyl ketone (5 mmol), SeO₂ (6 mmol), 20 mL of pyridine were added to a 50 mL round-bottom flask. The reaction mixture stirred at 110 °C for 1 h, then 90 °C for 4 h. the desired product were isolated by silica-gel column chromatography.

3. Optimization of reaction conditions with full details.

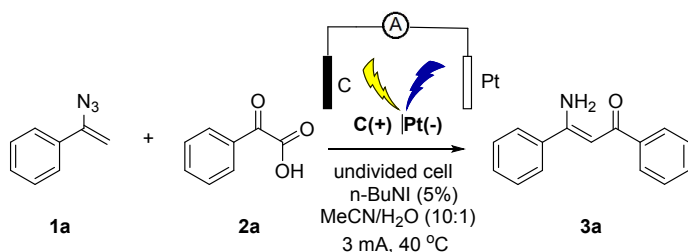
Table S1. Optimization of reaction conditions.

entry	1a:2a	anode /cathode	additive/equiv	J (mA/cm ²)	temp/ °C	solvent	Yield (%) ^a
1	1:3	Pt/Pt	NH ₄ I/0.15	3	50	MeCN	5
2	1:3	C/Pt	NH ₄ I/0.15	3	50	MeCN	19
3	1:3	Pt/C	NH ₄ I/0.15	3	50	MeCN	7
4	1:3	C/C	NH ₄ I/0.15	3	50	MeCN	11
5	1:3	C/Pt	NH ₄ Br/0.15	3	50	MeCN	7
6	1:3	C/Pt	NaBr/0.15	3	50	MeCN	6
7	1:3	C/Pt	NaI/0.15	3	50	MeCN	4
8	1:3	C/Pt	n-Bu ₄ NI/0.15	3	50	MeCN	35
9	1:3	C/Pt	n-Bu ₄ NBr/0.15	3	50	MeCN	9
10	1:3	C/Pt	n-Bu ₄ NI/0.10	3	50	MeCN	35
11	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	MeCN	36
12	1:3	C/Pt	n-Bu ₄ NI/0.04	3	50	MeCN	33
13	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	MeOH	21

14	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	EtOH	24
15	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	DCE	23
16	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	DMF	5
17	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	Dioxane	16
18	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	DMSO	4
19	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	MeCN/H ₂ O (2:1)	50
20	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	MeCN/ H ₂ O (10:1)	73
20	1:3	C/Pt	n-Bu ₄ NI/0.05	3	50	MeCN/ H ₂ O (1:1)	31
20	1:2	C/Pt	n-Bu ₄ NI/0.05	3	50	MeCN/ H ₂ O (10:1)	74
21	1:1	C/Pt	n-Bu ₄ NI/0.05	3	50	MeCN/ H ₂ O (10:1)	36
22	1:2	C/Pt	n-Bu ₄ NI/0.05	3	40	MeCN/ H ₂ O (10:1)	89(83) ^b
23	1:2	C/Pt	n-Bu ₄ NI/0.05	3	30	MeCN/ H ₂ O (10:1)	62
24	1:2	C/Pt	n-Bu ₄ NI/0.05	5	40	MeCN/ H ₂ O (10:1)	54
25	1:2	C/Pt	n-Bu ₄ NI/0.05	1	40	MeCN/ H ₂ O (10:1)	62
26	1:2	C/Pt		3	40	MeCN/ H ₂ O (10:1)	Trace
27	1:2		n-Bu ₄ NI/0.05		40	MeCN/ H ₂ O (10:1)	NR

^a GC-MS yield using the dodecane as the internal standard. ^b Isolated yield. LiClO₄ (0.1 mol/L),

4. General procedure for electrochemical synthesis of enaminones 3.

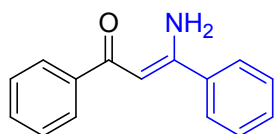


An undivided cell was equipped with a graphite felt (1×1 cm²) and a platinum plate (1×1 cm²) and connected to a DC regulated power supply. To the cell was added azido alkene **1** (0.2 mmol), *n*-Bu₄NI (0.01 mmol) and 2 mL of

0.1 M LiClO₄/(CH₃CN:H₂O = 10:1), α -oxocarboxylic acid **2a** (60.00 mg, 0.4 mmol) were added. The mixture was electrolyzed using constant current conditions (~3 mA/cm²) at 40 ° C under magnetic stirring. When TLC analysis indicated that the electrolysis was complete (witnessed by the disappearance of the **2a**), the solvent was removed under reduced pressure. The residue was poured into a saturated aqueous solution of Na₂S₂O₃ and the product was then extracted with DCM (3×20 mL), dried over Na₂SO₄, and concentrated in vacuo. The residue was purified by column chromatography on silica gel using a mixture of petroleum ether/EtOAc (v : v = 5 : 1) as eluent to afford the desired pure product **3a**.

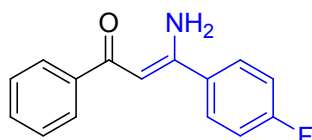
The graphite felt anode and Pt cathode could be reused for multiple times with similar chemical yields of **3a** after washed with water and acetone. The following is the the GC-yields of 3a after each reuse of cathodes.

Cycles	1st	2nd	3rd	4th
GC Yield of 3a	89%	87%	89%	89%



3a

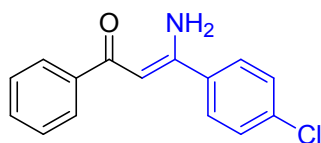
(*Z*)-3-amino-1,3-diphenylprop-2-en-1-one (**3a**)³, CAS Number:14088-42-7, yellow oil, 37.0 mg, 83% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.43 (s, 1H), 7.95 (dd, *J* = 5.2, 3.3 Hz, 2H), 7.64 (dd, *J* = 8.1, 1.2 Hz, 2H), 7.51-7.42 (m, 6H), 6.15 (s, 1H), 5.55 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.2, 162.9, 140.4, 137.6, 131.1, 130.7, 129.0, 128.3, 127.2, 126.4, 91.9. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₄NO [M+H]⁺ : 224.1070, found : 224.1074.



3b

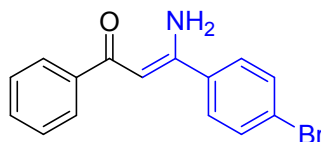
(*Z*)-3-amino-3-(4-fluorophenyl)-1-phenylprop-2-en-1-one (**3b**)³, CAS Number:2087908-75-4, white solid, mp 86.5-87.5 °C, 37.6 mg, 78% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.42 (s, 1H), 7.97-7.95 (m, 2H), 7.67-7.63 (m,

2H), 7.51-7.48 (m, 1H), 7.47-7.44 (m, 2H), 7.19-7.15 (m, 2H), 6.11 (s, 1H), 5.53 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 190.15, 164.16 (d, $J = 250.5$ Hz), 161.83, 140.22, 133.71 (d, $J = 9.0$ Hz), 131.15, 128.44 (d, $J = 9.0$ Hz), 128.34, 127.21, 116.1 (d, $J = 22.5$ Hz), 91.91. ^{19}F NMR (565 MHz, CDCl_3) δ -109.36 - -109.40. HRMS (ESI) m/z Calcd. for $\text{C}_{15}\text{H}_{13}\text{FNO}$ $[\text{M}+\text{H}]^+$: 242.0981, found : 242.0984.



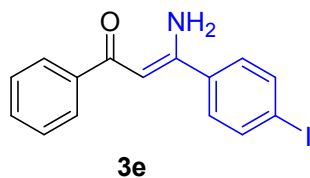
3c

(*Z*)-3-amino-3-(4-chlorophenyl)-1-phenylprop-2-en-1-one (**3c**)³, CAS Number:1770769-99-7, white solid, mp 93.5-95.5 °C, 38.0 mg, 74% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.39 (s, 1H), 7.96 – 7.95 (m, 2H), 7.60-7.59 (m, 2H), 7.51-7.49 (m, 1H), 7.47-7.45 (m, 4H), 6.12 (s, 1H), 5.49 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 190.3, 161.5, 140.1, 136.8, 136.0, 131.2, 129.3, 128.4, 127.7, 127.2, 92.0. HRMS (ESI) m/z Calcd. for $\text{C}_{15}\text{H}_{13}\text{ClNO}$ $[\text{M}+\text{H}]^+$: 258.0680, found : 258.0676.

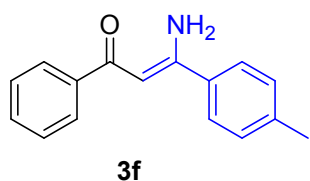


3d

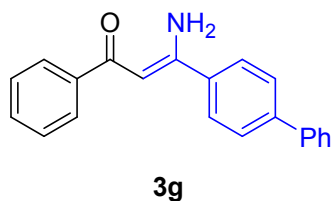
(*Z*)-3-amino-3-(4-bromophenyl)-1-phenylprop-2-en-1-one (**3d**)³, CAS Number:1429508-71-3, white solid, mp 73.4-75.2 °C, 46.4 mg, 77% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.37 (s, 1H), 7.95-7.94 (m, 2H), 7.61 (d, $J = 8.4$ Hz, 2H), 7.52 (d, $J = 8.4$ Hz, 2H), 7.49 (d, $J = 7.2$ Hz, 1H), 7.46 (t, $J = 7.4$ Hz, 2H), 6.12 (s, 1H), 5.52 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 190.3, 161.6, 140.1, 136.5, 132.3, 131.2, 128.4, 128.0, 127.2, 125.0, 92.0. HRMS (ESI) m/z Calcd. for $\text{C}_{15}\text{H}_{13}\text{BrNO}$ $[\text{M}+\text{H}]^+$: 302.0175, found : 302.0182. ^1H NMR (600 MHz, CDCl_3) δ 10.35 (s, 1H), 7.96 – 7.91 (m, 2H), 7.85 – 7.80 (m, 2H), 7.50 – 7.46 (m, 1H), 7.44 (dd, $J = 8.2, 6.5$ Hz, 2H), 7.39 – 7.35 (m, 2H), 6.10 (s, 1H), 5.34 (s, 1H).



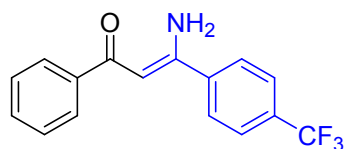
(Z)-3-amino-1-phenyl-3-(p-tolyl)prop-2-en-1-one (**3e**)⁴, CAS Number: 2286457-53-0, white solid, mp 109-111 °C, 34.1 mg, 49% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.35 (s, 1H), 7.96 – 7.91 (m, 2H), 7.85 – 7.80 (m, 2H), 7.50 – 7.46 (m, 1H), 7.44 (dd, *J* = 8.2, 6.5 Hz, 2H), 7.39 – 7.35 (m, 2H), 6.10 (s, 1H), 5.34 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.4, 161.6, 140.1, 138.3, 137.1, 131.3, 128.4, 128.0, 127.2, 97.0, 92.0. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₃INO [M+H]⁺: 350.0042, found: 350.0045.



(Z)-3-amino-1-phenyl-3-(p-tolyl)prop-2-en-1-one (**3f**)³, CAS Number: 2087908-73-2, white solid, mp 92-94 °C, 38.4 mg, 81% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.47 (s, 1H), 7.98-7.96 (m, 2H), 7.56 (d, *J* = 8.1 Hz, 2H), 7.50-7.44 (m, 3H), 7.31-7.28 (m, 2H), 6.17 (s, 1H), 5.47 (s, 1H), 2.45 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 190.1, 162.9, 141.2, 140.5, 134.6, 131.0, 129.7, 128.3, 127.2, 126.2, 91.5, 21.40. HRMS (ESI) *m/z* Calcd. for C₁₆H₁₅NO [M+H]⁺: 238.1226, found: 238.1229.

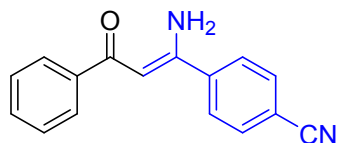


(Z)-3-([1,1'-biphenyl]-4-yl)-3-amino-1-phenylprop-2-en-1-one (**3g**)⁵, CAS Number: 2143120-79-8, white solid, mp 97 - 99 °C, 50.2 mg, 84% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.48 (s, 1H), 7.99 (dd, *J* = 8.0, 1.3 Hz, 2H), 7.72-7.68 (m, 4H), 7.64-7.63 (m, 2H), 7.50-7.44 (m, 5H), 7.41 (t, *J* = 7.4 Hz, 1H), 6.23 (s, 1H), 5.64 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.1, 162.5, 143.6, 140.4, 140.0, 136.2, 131.1, 129.0, 128.4, 128.0, 127.7, 127.3, 127.2, 126.9, 91.8. HRMS (ESI) *m/z* Calcd. for C₂₁H₁₈NO [M+H]⁺: 300.1388; found: 300.1394.



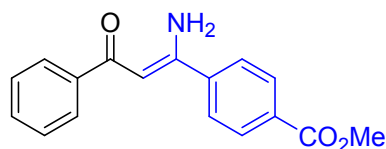
3h

(Z)-3-amino-1-phenyl-3-(4-(trifluoromethyl)phenyl)prop-2-en-1-one(**3h**)³, CAS Number:1427296-15-8, white solid, mp 74-76 °C, 40.2 mg, 69% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.34 (s, 1H), 7.93 (dd, *J* = 5.2, 3.4 Hz, 2H), 7.76-7.72 (m, 4H), 7.50-7.48 (m, 1H), 7.44 (dd, *J* = 10.1, 4.6 Hz, 2H), 6.13 (s, 1H), 5.44 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.52, 161.01, 141.16, 139.94, 132.49 (q, *J* = 33Hz), 131.38, 128.40, 127.25, 126.92, 126.05 (q, *J* = 3Hz), 123.70 (q, *J* = 270Hz), 92.63. ¹⁹F NMR (565 MHz, CDCl₃) δ -62.84. HRMS (ESI) *m/z* Calcd. for C₁₆H₁₂F₃NNaO [M+Na]⁺ : 314.0763, found : 314.0766.



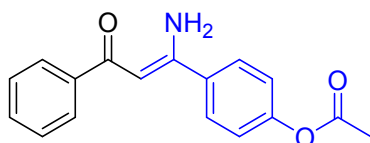
3i

(Z)-4-(1-amino-3-oxo-3-phenylprop-1-en-1-yl)benzonitrile (**3i**)⁵, CAS Number: 2143120-80-1, white solid, mp 83-85 °C, 35.2 mg, 71% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.10 (d, *J* = 236.5 Hz, 1H), 7.93-7.92 (m, 2H), 7.75 (td, *J* = 8.4, 6.5 Hz, 4H), 7.51-7.49 (m, 1H), 7.45 (t, *J* = 7.4 Hz, 2H), 6.12 (s, 1H), 5.36 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.6, 160.2, 142.0, 139.8, 132.8, 131.5, 128.4, 127.3, 127.2, 118.0, 114.3, 92.9. HRMS (ESI) *m/z* Calcd. for C₁₆H₁₂N₂ONa [M+Na]⁺: 271.0847, found: 271.0852.



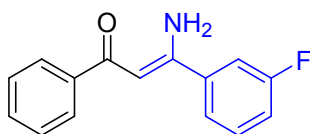
3j

(Z)-methyl 4-(1-amino-3-oxo-3-phenylprop-1-en-1-yl)benzoate (**3j**)⁵, CAS Number: 2143120-81-2, white solid, mp 106-107 °C, 36.6 mg, 65% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.35 (s, 1H), 8.11 (d, *J* = 8.3 Hz, 2H), 7.94-7.93 (m, 2H), 7.69 (d, *J* = 8.3 Hz, 2H), 7.49-7.46 (m, 1H), 7.43 (t, *J* = 7.4 Hz, 2H), 6.15 (s, 1H), 5.57 (s, 1H), 3.94 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 190.4, 166.3, 161.5, 141.8, 140.1, 132.0, 131.3, 130.2, 128.4, 127.3, 126.5, 92.5, 52.4. HRMS (ESI) *m/z* Calcd. for C₁₇H₁₅NO₃Na [M+Na]⁺ : 304.0950, found : 304.0952.



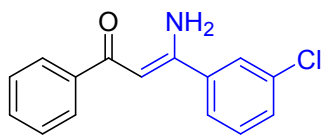
3k

(Z)-4-(1-amino-3-oxo-3-phenylprop-1-en-1-yl)phenyl acetate (**3k**), yellow oil, 42.2 mg, 75% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.38 (s, 1H), 7.98-7.95 (m, 2H), 7.69-7.67 (m, 2H), 7.50 (ddd, *J* = 6.1, 3.5, 1.3 Hz, 1H), 7.46-7.44 (m, 2H), 7.24-7.21 (m, 2H), 6.14 (s, 1H), 5.53 (s, 1H), 2.36 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 190.2, 169.2, 161.9, 152.4, 140.2, 135.3, 131.1, 128.3, 127.7, 127.2, 122.3, 92.0, 21.1. HRMS (ESI) *m/z* Calcd. for C₁₇H₁₅NNaO₃ [M+Na]⁺ : 304.0950, found : 304.0951.



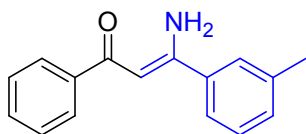
3l

(Z)-3-amino-3-(3-fluorophenyl)-1-phenylprop-2-en-1-one (**3l**)³, CAS Number: 2087908-78-7, yellow oil, 35.2 mg, 73% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.34 (s, 1H), 7.93 (dd, *J* = 5.2, 3.4 Hz, 2H), 7.48 (ddd, *J* = 6.2, 3.6, 1.3 Hz, 1H), 7.45-7.41 (m, 4H), 7.35-7.31 (m, 1H), 7.20-7.17 (m, 1H), 6.12 (s, 1H), 5.54 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.38, 162.89 (d, *J* = 246 Hz), 161.33 (d, *J* = 3 Hz), 140.08, 139.82 (d, *J* = 0.5 Hz), 131.25, 130.75 (d, *J* = 7.5 Hz), 128.36, 127.24, 122.09 (d, *J* = 3 Hz), 117.60 (d, *J* = 21 Hz), 113.61 (d, *J* = 22.5 Hz), 92.11. ¹⁹F NMR (565 MHz, CDCl₃) δ -111.40 - -111.44. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₃FNO [M+H]⁺ : 242.0976, found : 242.0982



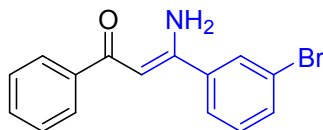
3m

(*Z*)-3-amino-3-(3-chlorophenyl)-1-phenylprop-2-en-1-one (**3m**)³, CAS Number: 2087908-79-8, yellow oil, 39.1 mg, 70% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.33 (s, 1H), 7.94-7.93 (m, 2H), 7.61 (t, *J* = 1.8 Hz, 1H), 7.50 (t, *J* = 6.3 Hz, 1H), 7.48-7.42 (m, 4H), 7.39 (t, *J* = 7.8 Hz, 1H), 6.10 (s, 1H), 5.50 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.4, 161.2, 140.0, 139.4, 135.0, 131.3, 130.7, 130.4, 128.4, 127.3, 126.7, 124.6, 92.2. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₃ClNO [M+H]⁺: 258.0680, found: 258.0686.



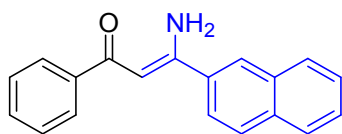
3n

(*Z*)-3-amino-1-phenyl-3-(*m*-tolyl)prop-2-en-1-one (**3n**)³, CAS Number: 2087908-77-6, white solid, mp 50-52 °C, 38.4 mg, 81% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.43 (s, 1H), 7.95 (dd, *J* = 5.2, 3.3 Hz, 2H), 7.48-7.42 (m, 5H), 7.36 (t, *J* = 7.8 Hz, 1H), 7.31 (d, *J* = 7.6 Hz, 1H), 6.14 (s, 1H), 5.49 (s, 1H), 2.43 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 190.1, 163.1, 140.4, 138.9, 137.6, 131.5, 131.0, 129.0, 128.3, 127.2, 127.0, 123.5, 91.8, 21.5. HRMS (ESI) *m/z* Calcd. for C₁₆H₁₅NO [M+H]⁺: 238.1226, found: 238.1221.



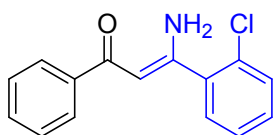
3o

(Z)-3-amino-3-(3-bromophenyl)-1-phenylprop-2-en-1-one (**3o**)⁷, CAS Number: 261730-42-1, white solid, mp 76-78 °C, 44.5 mg, 74% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.32 (s, 1H), 7.93 (dd, *J* = 5.2, 3.3 Hz, 2H), 7.77 (t, *J* = 1.8 Hz, 1H), 7.61 (dd, *J* = 8.0, 0.8 Hz, 1H), 7.56-7.53 (m, 1H), 7.48 (ddd, *J* = 6.2, 3.6, 1.3 Hz, 1H), 7.45-7.42 (m, 2H), 7.33 (t, *J* = 7.9 Hz, 1H), 6.09 (s, 1H), 5.47 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.4, 161.1, 140.0, 139.7, 133.6, 131.3, 130.6, 129.5, 128.4, 127.3, 125.0, 123.1, 92.2. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₃BrNO [M+H]⁺: 302.0175, found: 302.0180.



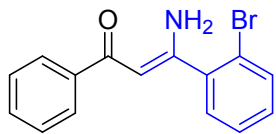
3p

(Z)-3-amino-3-(naphthalen-2-yl)-1-phenylprop-2-en-1-one (**3p**)³, CAS Number: 2087908-82-3, white solid, mp 86-88 °C, 48.6 mg, 89% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.51 (s, 1H), 8.12 (s, 1H), 8.00-7.99 (m, 2H), 7.92 (dd, *J* = 8.8, 3.9 Hz, 2H), 7.90-7.88 (m, 1H), 7.70 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.58-7.55 (m, 2H), 7.50-7.44 (m, 3H), 6.28 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.1, 162.9, 140.4, 134.8, 134.3, 133.0, 131.1, 129.0, 128.6, 128.4, 128.3, 127.8, 127.4, 127.3, 1267.0, 126.2, 123.5, 92.3. HRMS (ESI) *m/z* Calcd. for C₁₉H₁₆NO [M+H]⁺: 274.1226, found: 274.1228.



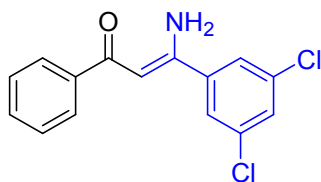
3q

(Z)-3-amino-3-(2-chlorophenyl)-1-phenylprop-2-en-1-one (**3q**), white solid, mp 131-132 °C, 36.0 mg, 70% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.37 (s, 1H), 7.94-7.92 (m, 2H), 7.58-7.56 (m, 2H), 7.49-7.47 (m, 1H), 7.45-7.43 (m, 4H), 6.10 (s, 1H), 5.46 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.3, 161.5, 140.1, 136.8, 136.0, 131.2, 129.3, 128.4, 127.7, 127.2, 92.0. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₃ClNO [M+H]⁺: 258.0680, found: 258.0681.



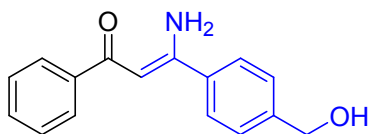
3r

(*Z*)-3-amino-3-(2-bromophenyl)-1-phenylprop-2-en-1-one (**3r**), white solid, mp 126-128 °C, 44.1 mg, 73% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.30 (s, 1H), 7.94-7.91 (m, 2H), 7.66 (dd, *J* = 8.0, 0.9 Hz, 1H), 7.45-7.37 (m, 5H), 7.30 (td, *J* = 7.8, 1.7 Hz, 1H), 5.89 (s, 1H), 5.31 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.4, 161.98, 139.9, 138.7, 133.6, 131.2, 130.8, 129.9, 128.3, 127.6, 127.3, 120.7, 94.2. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₃BrNO [M+H]⁺: 302.0175, found: 302.0177.



3s

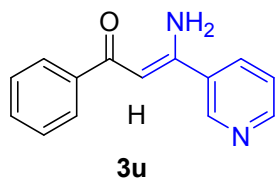
(*Z*)-3-amino-3-(3,5-dichlorophenyl)-1-phenylprop-2-en-1-one (**3s**), white solid, mp 165-167 °C, 44.8 mg, 77% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.24 (s, 1H), 7.92-7.91 (m, 2H), 7.49 (q, *J* = 2.5 Hz, 3H), 7.50-7.48 (m, 3H), 6.06 (s, 1H), 5.46 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.6, 159.7, 140.6, 139.8, 135.8, 131.5, 130.4, 128.4, 127.3, 125.1, 92.5. HRMS (ESI) *m/z* Calcd. for C₁₅H₁₂Cl₂NO [M+H]⁺: 292.0296, Found : 292.0301.



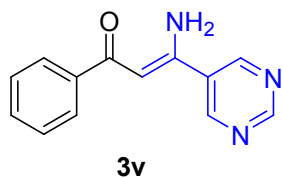
3t

(*Z*)-3-amino-3-(4-(hydroxymethyl)phenyl)-1-phenylprop-2-en-1-one(**3t**), white solid, mp 106-107 °C, 50.0 mg, 83%. yield. ¹H NMR (600 MHz, CDCl₃) δ 10.45 (s, 1H), 7.99 – 7.94 (m, 2H), 7.71 – 7.65 (m, 2H), 7.51 (d, *J* =

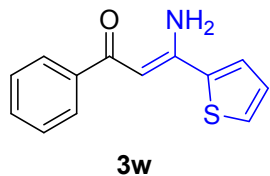
7.7 Hz, 2H), 7.49 – 7.44 (m, 3H), 6.18 (s, 1H), 5.46 (s, 1H), 4.81 (d, $J = 5.7$ Hz, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 190.2, 162.5, 143.7, 140.3, 136.8, 131.1, 128.3, 127.4, 127.2, 126.6, 91.9, 64.7. HRMS (ESI) m/z Calcd. for $\text{C}_{16}\text{H}_{16}\text{NO}_2$ $[\text{M}+\text{H}]^+$: 254.1181, Found : 254.1187.



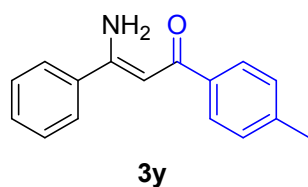
(*Z*)-3-amino-1-phenyl-3-(pyridin-3-yl)prop-2-en-1-one (**3u**)⁵, CAS Number: 1770770-12-1, oil, 31.9 mg, 71% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.35 (s, 1H), 8.93 (d, $J = 2.3$ Hz, 1H), 8.76 (dd, $J = 4.9, 1.6$ Hz, 1H), 8.00 – 7.91 (m, 3H), 7.54 – 7.50 (m, 1H), 7.49 – 7.47 (m, 2H), 7.47 – 7.43 (m, 1H), 6.15 (s, 1H), 5.42 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 190.5, 159.5, 151.6, 147.6, 139.9, 133.9, 133.5, 131.4, 128.4, 127.3, 123.7, 92.7. HRMS (ESI) m/z Calcd. for $\text{C}_{14}\text{H}_{13}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 225.1028, Found : 225.1031.



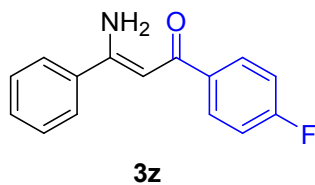
(*Z*)-3-amino-1-phenyl-3-(pyrimidin-5-yl)prop-2-en-1-one (**3v**), white solid, mp 58-60 °C, 31.2mg, 69% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.25 (s, 1H), 9.36 (s, 1H), 9.03 (s, 2H), 8.03 – 7.92 (m, 2H), 7.57 – 7.52 (m, 1H), 7.49 (dd, $J = 8.2, 6.7$ Hz, 2H), 6.14 (s, 1H), 5.59 – 5.14 (m, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 160.1, 155.8, 154.7, 139.4, 131.8, 131.6, 128.5, 127.3, 93.4. HRMS (ESI) m/z Calcd. for $\text{C}_{13}\text{H}_{12}\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$: 226.0980, Found : 226.0977.



(*Z*)-3-amino-1-phenyl-3-(thiophen-2-yl)prop-2-en-1-one (**3w**)³, CAS Number: 1456785-15-1, oil, 35.7 mg, 78% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.36 (s, 1H), 8.02 – 7.91 (m, 2H), 7.57 – 7.44 (m, 5H), 7.17 (dd, *J* = 5.0, 3.7 Hz, 1H), 6.29 (s, 1H), 5.37 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.2, 155.1, 140.1, 139.9, 131.2, 128.3, 128.2, 127.2, 126.5, 91.4. HRMS (ESI) *m/z* Calcd. for C₁₃H₁₂NOS [M+H]⁺: 230.0640, Found : 230.0642.

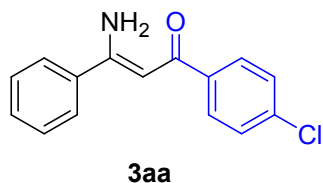


(*Z*)-3-amino-3-phenyl-1-(*p*-tolyl)prop-2-en-1-one (**3y**)³, CAS Number: 1427296-04-5, white solid, mp 76-77 °C, 37.9 mg, 80% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.39 (s, 1H), 7.86 (d, *J* = 8.1 Hz, 2H), 7.64 (dt, *J* = 3.6, 2.1 Hz, 2H), 7.51 – 7.45 (m, 3H), 7.24 (d, *J* = 8.1 Hz, 2H), 6.14 (s, 1H), 5.47 (s, 1H), 2.40 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 190.0, 162.6, 141.5, 137.7, 137.7, 130.6, 129.0, 129.0, 127.3, 126.4, 91.8, 21.5. HRMS (ESI) *m/z* Calcd. for C₁₆H₁₅NNaO [M+Na]⁺: 260.1046; found: 260.1048.

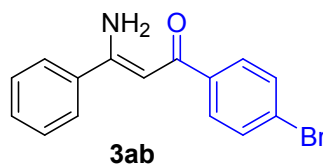


(*Z*)-3-amino-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one (**3z**)³, CAS Number: 1427296-08-9, white solid, mp 72-74 °C, 34.1 mg, 71% yield. *N*-(5-iodo-2-(1-(methoxyimino)ethyl)phenyl)-4-methylbenzamide. ¹H NMR (600 MHz, CDCl₃) δ 10.39 (s, 1H), 7.97-7.94 (m, 2H), 7.63-7.62 (m, 2H), 7.52-7.45 (m, 3H), 7.12-7.08 (m, 2H), 6.09 (s, 1H), 5.54 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 188.63, 164.62 (d, *J* = 250.5 Hz), 163.12, 137.52, 136.54 (d,

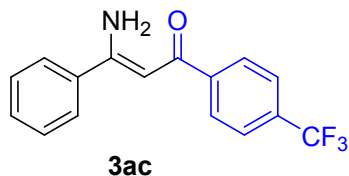
$J = 3.0$ Hz), 130.80, 129.50 (d, $J = 9.0$ Hz), 129.07, 126.35, 115.17 (d, $J = 21.0$ Hz), 91.49. ^{19}F NMR (565 MHz, CDCl_3) δ -109.25 - -109.28. HRMS(ESI) m/z Calcd. for $\text{C}_{15}\text{H}_{12}\text{FNNaO}$ $[\text{M}+\text{Na}]^+$: 264.0795, found : 264.0799.



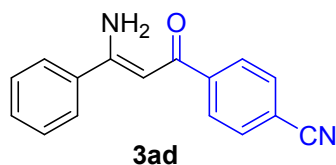
(*Z*)-3-amino-1-(4-chlorophenyl)-3-phenylprop-2-en-1-one (**3aa**)³, CAS Number: 1427296-09-0, white solid, mp 76-78 °C, 38.0 mg, 74% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.42 (s, 1H), 7.89 - 7.87 (m, 2H), 7.63-7.61 (m, 2H), 7.50 (ddd, $J = 6.1, 3.5, 1.3$ Hz, 1H), 7.48-7.45 (m, 2H), 7.40-7.38 (m, 2H), 6.08 (s, 1H), 5.60 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 188.6, 163.4, 138.7, 137.4, 137.1, 130.9, 129.1, 128.6, 128.5, 126.4, 91.5. HRMS (ESI) m/z Calcd. for $\text{C}_{15}\text{H}_{12}\text{ClNNaO}$ $[\text{M}+\text{Na}]^+$: 280.0500, found: 280.0502.



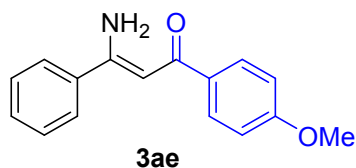
(*Z*)-3-amino-1-(4-bromophenyl)-3-phenylprop-2-en-1-one (**3ab**)³, CAS Number: 2119546-26-6, white solid, mp 76-78 °C, 43.3 mg, 72% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.43 (s, 0H), 7.81 (d, $J = 8.5$ Hz, 2H), 7.63-7.61 (m, 2H), 7.55 (d, $J = 8.5$ Hz, 2H), 7.52-7.49 (m, 1H), 7.47 (t, $J = 7.3$ Hz, 2H), 6.08 (s, 1H), 5.60 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 188.7, 163.4, 139.1, 137.4, 131.5, 130.9, 129.1, 128.9, 126.4, 125.7, 91.5. HRMS (ESI) m/z Calcd. for $\text{C}_{15}\text{H}_{12}\text{BrNNaO}$ $[\text{M}+\text{Na}]^+$: 323.9994, found : 323.9999.



(Z)-3-amino-3-phenyl-1-(4-(trifluoromethyl)phenyl)prop-2-en-1-one (**3ac**)⁶, CAS Number: 1770769-96-4, white solid, mp 79-81 °C, 40.2 mg, 69% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.50 (s, 1H), 8.03 (d, *J* = 8.2 Hz, 2H), 7.69 (d, *J* = 8.3 Hz, 2H), 7.64 (dd, *J* = 5.3, 3.3 Hz, 2H), 7.54-7.48 (m, 3H), 6.12 (s, 1H), 5.61 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 188.48, 163.85, 143.39, 137.19, 131.04, 129.16, 127.51, 126.35, 125.33 (q, *J* = 4.5 Hz), 91.80. ¹⁹F NMR (565 MHz, CDCl₃) δ -62.75. HRMS (ESI) *m/z* calcd. for C₁₆H₁₂F₃NNaO [M+Na]⁺ : 314.0769, found : 314.0772.

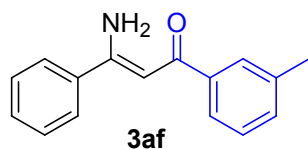


(Z)-4-(3-amino-3-phenylacryloyl)benzonitrile (**3ad**), white solid, mp 85-87 °C, 32.2 mg, 65% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.53 (s, 1H), 8.00 (d, *J* = 8.3 Hz, 2H), 7.71 (d, *J* = 8.3 Hz, 2H), 7.63 (d, *J* = 7.2 Hz, 2H), 7.53 (t, *J* = 7.3 Hz, 1H), 7.49 (t, *J* = 7.4 Hz, 2H), 6.09 (s, 1H), 5.75 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 187.6, 164.3, 144.0, 136.9, 132.2, 131.2, 129.2, 127.7, 126.4, 118.6, 114.2, 91.7. HRMS (ESI) *m/z* calcd. for C₁₆H₁₂N₂NaO [M+Na]⁺ : 271.0847, found: 271.0849.

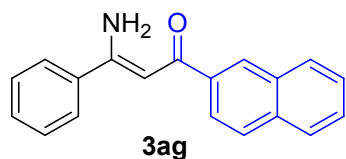


(Z)-3-amino-1-(4-methoxyphenyl)-3-phenylprop-2-en-1-one (**3ae**)³, CAS Number: 183271-59-2, yellow oil, 35.9 mg, 71% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.37 (s, 1H), 7.98-7.96 (m, 2H), 7.66 (dd, *J* = 7.9, 1.4 Hz, 2H), 7.52-7.48 (m, 3H), 6.96 (dd, *J* = 6.7, 4.9 Hz, 2H), 6.14 (s, 1H), 5.37 (s, 1H), 3.88 (s, 3H). ¹³C NMR (150 MHz,

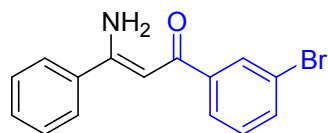
CDCl₃) δ 189.2, 162.3, 162.1, 137.9, 133.0, 130.6, 129.2, 129.0, 126.3, 113.5, 91.6, 55.4. HRMS (ESI) m/z calcd. for C₁₆H₁₅NNaO₂ [M+Na]⁺ : 276.0995, found: 276.0999.



(Z)-3-amino-3-phenyl-1-(m-tolyl)prop-2-en-1-one (**3af**)⁴, CAS Number: 2087908-85-6, yellow oil, 38.9 mg, 82% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.42 (s, 1H), 7.77 (s, 1H), 7.74 (d, J = 7.6 Hz, 1H), 7.66-7.63 (m, 2H), 7.52-7.46 (m, 3H), 7.33 (dd, J = 9.3, 5.7 Hz, 1H), 7.29 (d, J = 7.5 Hz, 1H), 6.14 (s, 1H), 5.50 (s, 1H), 2.42 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 190.4, 162.8, 140.4, 138.0, 137.7, 131.8, 130.7, 129.0, 128.2, 127.9, 126.4, 124.4, 92.0, 21.5. HRMS (ESI) m/z calcd. for C₁₆H₁₅NNaO [M+Na]⁺ : 260.1046; found : 260.1052.

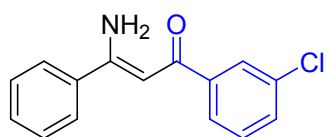


(Z)-3-amino-1-(naphthalen-2-yl)-3-phenylprop-2-en-1-one (**3ag**)³, CAS Number: 1887029-62-0, white solid, mp 87-89 °C, 45.4 mg, 83% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.50 (s, 1H), 8.46 (s, 1H), 8.07 (dd, J = 8.5, 1.6 Hz, 1H), 7.96 (d, J = 7.8 Hz, 1H), 7.90 (d, J = 8.6 Hz, 1H), 7.87 (d, J = 7.8 Hz, 1H), 7.69-7.68 (m, 2H), 7.56-7.48 (m, 5H), 6.31 (s, 1H), 5.53 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 190.0, 163.0, 137.7, 134.8, 132.9, 130.8, 129.3, 129.1, 128.0, 127.7, 127.7, 127.3, 126.4, 126.3, 124.2, 92.2. HRMS(ESI) m/z calcd. for C₁₉H₁₅NNaO [M+Na]⁺ : 296.1046, found : 296.1048.



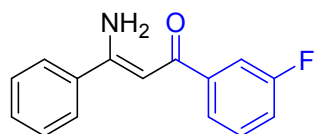
3ah

(*Z*)-3-amino-1-(3-bromophenyl)-3-phenylprop-2-en-1-one (**3ah**), white solid, mp 65-67 °C, 46.4 mg, 77% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.43 (s, 1H), 8.06 (t, *J* = 1.7 Hz, 1H), 7.85 (d, *J* = 7.8 Hz, 1H), 7.62 (dd, *J* = 5.2, 3.3 Hz, 2H), 7.59-7.57 (m, 1H), 7.52-7.50 (m, 1H), 7.48-7.46 (m, 2H), 7.30 (t, *J* = 7.8 Hz, 1H), 6.06 (s, 1H), 5.65 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 188.2, 163.6, 142.3, 137.2, 133.8, 130.9, 130.4, 129.9, 129.1, 126.4, 125.8, 122.6, 91.5. HRMS (ESI) *m/z* calcd. for C₁₅H₁₂BrNNaO [M+Na]⁺ : 323.9994, found : 323.9998.



3ai

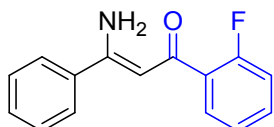
(*Z*)-3-amino-1-(3-chlorophenyl)-3-phenylprop-2-en-1-one (**3ai**), white solid, mp 59-61 °C, 39.1 mg, 76% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.43 (s, 1H), 7.91 (t, *J* = 1.7 Hz, 1H), 7.81 (d, *J* = 7.7 Hz, 1H), 7.63-7.62 (m, 2H), 7.52-7.46 (m, 3H), 7.44-7.42 (m, 1H), 7.36 (t, *J* = 7.8 Hz, 1H), 6.07 (s, 1H), 5.63 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 188.3, 163.6, 142.1, 137.3, 134.5, 130.9, 130.9, 129.6, 129.1, 127.4, 126.4, 125.3, 91.6. HRMS (ESI) *m/z* calcd. for C₁₅H₁₂ClNNaO [M+Na]⁺ : 280.0500, found : 280.0501



3aj

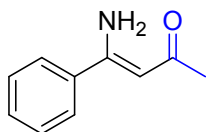
(*Z*)-3-amino-1-(3-fluorophenyl)-3-phenylprop-2-en-1-one (**3aj**), yellow oil, 33.7 mg, 70% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.43 (s, 1H), 7.71 (d, *J* = 7.7 Hz, 1H), 7.63 (dd, *J* = 9.7, 2.7 Hz, 3H), 7.52-7.46 (m, 3H), 7.39 (td, *J* = 8.0, 5.7 Hz, 1H), 7.16 (ddd, *J* = 10.3, 8.0, 2.3 Hz, 1H), 6.09 (s, 1H), 5.60 (s, 1H). ¹³C NMR (150 MHz, CDCl₃)

δ 188.40 (d, $J = 1.5$ Hz), 163.52, 162.89 (d, $J = 244.5$ Hz), 142.67 (d, $J = 6.0$ Hz), 137.31, 130.91, 129.81 (d, $J = 7.5$ Hz), 129.11, 126.36, 122.82 (d, $J = 3.0$ Hz, 1), 117.85 (d, $J = 22.5$ Hz), 114.15 (d, $J = 22.5$ Hz), 91.63. ^{19}F NMR (565 MHz, CDCl_3) δ -112.89 - -112.94. HRMS (ESI) m/z calcd. for $\text{C}_{15}\text{H}_{12}\text{FNNaO}$ $[\text{M}+\text{Na}]^+$: 264.0795, found: 264.0794.



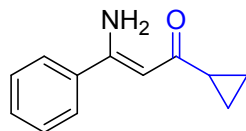
3ak

(*Z*)-3-amino-1-(2-fluorophenyl)-3-phenylprop-2-en-1-one (**3ak**), white solid, mp 68-70 °C, 31.3 mg, 65% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.39 (s, 1H), 7.85 (td, $J = 7.6, 1.8$ Hz, 1H), 7.64-7.62 (m, 2H), 7.51-7.46 (m, 3H), 7.42-7.38 (m, 1H), 7.21 (td, $J = 7.7, 0.9$ Hz, 1H), 7.11-7.08 (m, 1H), 6.12 (s, 1H), 5.58 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 186.94 (d, $J = 3.0$ Hz), 162.97, 160.46 (d, $J = 250.5$ Hz), 137.19, 132.09 (d, $J = 9.0$ Hz), 130.84, 130.44 (d, $J = 1.5$ Hz), 129.05, 126.42, 124.18 (d, $J = 3.0$ Hz), 116.33 (d, $J = 24.0$ Hz), 96.12 (d, $J = 9.0$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -112.22 - -112.26. HRMS (ESI) m/z calcd. for $\text{C}_{15}\text{H}_{12}\text{FNNaO}$ $[\text{M}+\text{Na}]^+$: 264.0795, found : 264.0799.



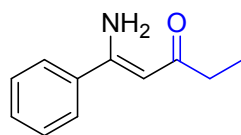
3al

(*Z*)-4-amino-4-phenylbut-3-en-2-one (**3al**)⁸, CAS Number: 14088-41-6, white solid, mp 142-144 °C, 13.8 mg, 43% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.22 (s, 1H), 7.89-7.87 (m, 2H), 7.45-7.39 (m, 3H), 5.74 (s, 1H), 5.17 (s, 1H), 2.06 (s, 3H). ^{13}C NMR (150 MHz, CDCl_3) δ 189.5, 162.8, 140.2, 130.8, 128.2, 127.1, 92.3, 22.9. HRMS (ESI) m/z calcd. for $\text{C}_{10}\text{H}_{11}\text{NNaO}$ $[\text{M}+\text{Na}]^+$: 184.0738, found : 184.0745.



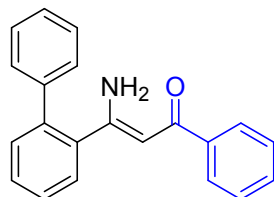
3am

(Z)-3-amino-1-cyclopropyl-3-phenylprop-2-en-1-one (**3am**), CAS Number: 1855911-48-6, oil, 13.4 mg, 32% yield. ^1H NMR (600 MHz, CDCl_3) δ 9.88 (s, 1H), 7.62 – 7.56 (m, 2H), 7.52 – 7.42 (m, 3H), 5.61 (s, 1H), 5.24 (s, 1H), 1.84 (ddd, $J = 7.9, 4.6, 3.3$ Hz, 1H), 1.08 – 1.03 (m, 2H), 0.82 (dq, $J = 7.0, 3.6$ Hz, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 199.3, 160.1, 137.5, 130.5, 128.9, 126.3, 95.0, 20.9, 9.5. HRMS (ESI) m/z calcd. for $\text{C}_{12}\text{H}_{13}\text{NNaO}$ $[\text{M}+\text{Na}]^+$: 210.0895, found : 210.0901.



3an

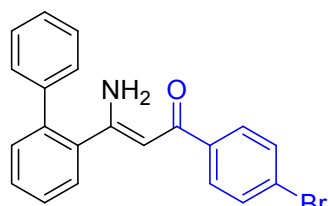
(Z)-1-amino-1-phenylpent-1-en-3-one (**3an**), CAS Number: 123433-77-2, oil, 14 mg, 40% yield. ^1H NMR (600 MHz, CDCl_3) δ 9.94 (s, 1H), 7.62 – 7.53 (m, 2H), 7.53 – 7.40 (m, 3H), 5.47 (d, $J = 1.1$ Hz, 1H), 5.30 (s, 1H), 2.44 (q, $J = 7.5$ Hz, 2H), 1.17 (t, $J = 7.5$ Hz, 3H). ^{13}C NMR (150 MHz, CDCl_3) δ 201.2, 160.9, 137.4, 130.5, 128.9, 126.3, 94.2, 35.7, 9.8. HRMS (ESI) m/z calcd. for $\text{C}_{11}\text{H}_{13}\text{NNaO}$ $[\text{M}+\text{Na}]^+$: 198.0895, found : 198.0899.



3ao

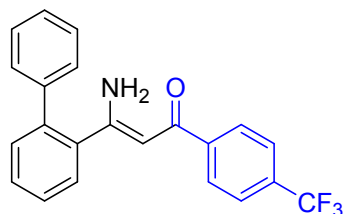
(Z)-3-([1,1'-biphenyl]-2-yl)-3-amino-1-phenylprop-2-en-1-one (**3ao**), yellow oil, 49.3 mg, 82% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.17 (s, 1H), 7.77-7.75 (m, 2H), 7.58 (td, $J = 7.5, 1.4$ Hz, 1H), 7.51 (tt, $J = 3.8, 1.9$ Hz, 1H), 7.48-7.46 (m, 2H), 7.45-7.42 (m, 2H), 7.41-7.37 (m, 4H), 7.36-7.33 (m, 1H), 5.88 (s, 1H), 5.17 (s, 1H). ^{13}C NMR

(150 MHz, CDCl₃) δ 189.6, 163.7, 140.2, 140.1, 140.1, 136.5, 131.0, 130.9, 130.0, 129.0, 128.7, 128.6, 128.2, 127.7, 127.6, 127.2, 94.9. HRMS (ESI) m/z calcd. for C₂₁H₁₈NO [M+H]⁺ : 300.1388, found : 300.1403.



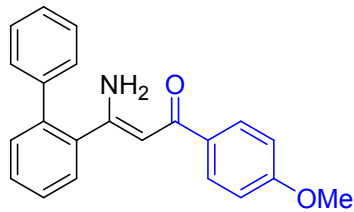
3ap

(Z)-3-([1,1'-biphenyl]-2-yl)-3-amino-1-(4-bromophenyl)prop-2-en-1-one (**3ap**), white solid, mp 165-166 °C, 59.6 mg, 719% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.16 (s, 1H), 7.57 (ddd, J = 6.3, 4.2, 1.5 Hz, 3H), 7.53-7.48 (m, 3H), 7.46-7.41 (m, 4H), 7.39 (dd, J = 10.2, 4.8 Hz, 2H), 7.35-7.32 (m, 1H), 5.77 (s, 1H), 5.29 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 188.2, 164.1, 140.2, 140.0, 139.0, 136.3, 131.4, 131.0, 130.1, 128.9, 128.8, 128.7, 128.6, 127.7, 127.7, 125.6, 94.7. HRMS (ESI) m/z calcd. for C₂₁H₁₇BrNO [M+H]⁺ : 378.0494, found: 378.0508.



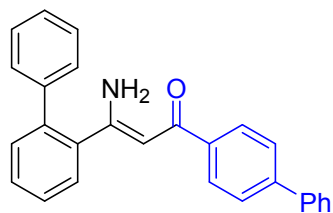
3aq

(Z)-3-([1,1'-biphenyl]-2-yl)-3-amino-1-(4-(trifluoromethyl)phenyl)prop-2-en-1-one (**3aq**)⁶, white solid, mp 110-112 °C, 52.1 mg, 76% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.25 (s, 1H), 7.79 (d, J = 8.1 Hz, 2H), 7.62 (d, J = 8.2 Hz, 2H), 7.58 (d, J = 7.6 Hz, 1H), 7.53 (td, J = 7.6, 1.0 Hz, 1H), 7.47-7.44 (m, 4H), 7.40 (t, J = 7.4 Hz, 2H), 7.35 (t, J = 7.2 Hz, 1H), 5.80 (s, 1H), 5.31 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 187.95, 164.54, 143.28, 140.26, 136.08, 132.31 (q, J = 31.5 Hz), 131.07, 130.21, 128.73, 127.72 (q, J = 7.5 Hz), 127.46, 125.19 (q, J = 3.0 Hz), 123.99 (q, J = 271.5.0 Hz), 95.01. ¹⁹F NMR (565 MHz, CDCl₃) δ -62.72. HRMS (ESI) m/z calcd. for C₂₂H₁₇F₃NO [M+H]⁺ : 368.1262, found: 368.1288.



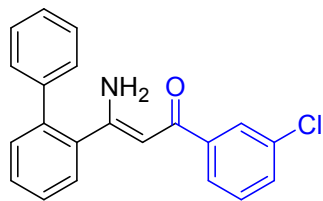
3ar

(*Z*)-3-([1,1'-biphenyl]-2-yl)-3-amino-1-(4-methoxyphenyl)prop-2-en-1-one (**3ar**), white solid, mp 132-134 °C, 50.0 mg, 76% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.07 (s, 1H), 7.76-7.73 (m, 2H), 7.58 (dd, *J* = 7.6, 1.1 Hz, 1H), 7.52-7.49 (m, 1H), 7.47-7.41 (m, 3H), 7.42 (dd, *J* = 7.5, 1.3 Hz, 1H), 7.39-7.37 (m, 2H), 7.34-7.31 (m, 1H), 6.89-6.86 (m, 2H), 5.83 (s, 1H), 5.02 (s, 1H), 3.83 (s, 3H). ¹³C NMR (150 MHz, CDCl₃) δ 188.7, 163.0, 162.0, 140.1, 140.1, 136.7, 133.0, 130.9, 129.8, 129.1, 129.0, 128.7, 128.5, 127.6, 127.6, 113.4, 94.6, 55.3. HRMS (ESI) *m/z* calcd. for C₂₂H₂₀NO₂ [M+H]⁺: 330.1494, found : 330.1404.



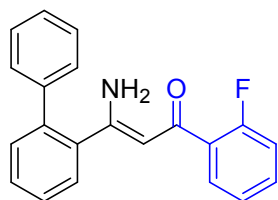
3as

(*Z*)-3-([1,1'-biphenyl]-2-yl)-1-([1,1'-biphenyl]-4-yl)-3-aminoprop-2-en-1-one (**3as**), yellow oil, 65.3 mg, 87% yield. ¹H NMR (600 MHz, CDCl₃) δ 10.25 (s, 1H), 8.18 (s, 1H), 7.91-7.89 (m, 2H), 7.86-7.84 (m, 2H), 7.64 (dd, *J* = 7.6, 1.1 Hz, 1H), 7.55-7.50 (m, 6H), 7.49 - 7.47 (m, 2H), 7.45-7.42 (m, 3H), 7.38-7.35 (m, 1H), 6.01 (s, 1H), 5.20 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 189.6, 163.5, 140.3, 140.2, 137.6, 136.5, 134.7, 132.8, 131.0, 130.03, 129.3, 129.0, 128.8, 128.6, 127.9, 127.7, 127.7, 127.7, 127.3, 126.3, 124.2, 95.4. HRMS (ESI) *m/z* calcd. for C₂₇H₂₂NO [M+H]⁺: 376.1701, found : 376.1713.



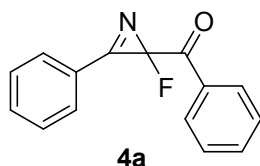
3at

(*Z*)-3-([1,1'-biphenyl]-2-yl)-3-amino-1-(3-chlorophenyl)prop-2-en-1-one (**3at**), yellow oil, 48.6 mg, 73% yield. ^1H NMR (600 MHz, CDCl_3) δ 10.17 (s, 1H), 7.66 (t, $J = 1.8$ Hz, 1H), 7.60-7.56 (m, 2H), 7.54-7.51 (m, 1H), 7.46-7.42 (m, 4H), 7.39- (m, 3H), 7.36-7.34 (m, 1H), 7.29 (dd, $J = 10.0, 5.7$ Hz, 1H), 5.77 (s, 1H), 5.27 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 187.9, 164.2, 142.0, 140.2, 140.0, 136.2, 134.3, 131.0, 130.8, 130.1, 129.5, 128.9, 128.7, 128.6, 127.7, 127.4, 125.3, 94.8. HRMS (ESI) m/z calcd. for $\text{C}_{21}\text{H}_{17}\text{ClNO}$ $[\text{M}+\text{H}]^+$: 334.0999, found : 334.1012.



3au

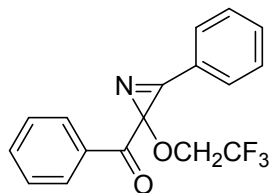
(*Z*)-3-([1,1'-biphenyl]-2-yl)-3-amino-1-(2-fluorophenyl)prop-2-en-1-one (**3au**), white solid, mp 147-149 $^\circ\text{C}$, 43.7 mg, 69% yield. ^1H NMR (600 MHz, CDCl_3) δ 7.70 (td, $J = 7.7, 1.8$ Hz, 1H), 7.57 (dd, $J = 7.6, 1.1$ Hz, 1H), 7.50 (td, $J = 7.6, 1.3$ Hz, 1H), 7.47-7.45 (m, 2H), 7.44-7.42 (m, 1H), 7.41-7.39 (m, 2H), 7.38-7.34 (m, 3H), 7.16 (td, $J = 7.6, 1.0$ Hz, 1H), 7.05 (ddd, $J = 11.0, 8.3, 0.8$ Hz, 1H), 5.89 (s, 1H), 5.21 (s, 1H). ^{13}C NMR (150 MHz, CDCl_3) δ 186.46 (d, $J = 3.0$ Hz), 160.47 (d, $J = 250.6$ Hz), 161.30, 159.63, 140.00 (d, $J = 22.5$ Hz), 136.23, 132.00 (d, $J = 9.0$ Hz), 130.94, 130.41 (d, $J = 3.0$ Hz), 130.00, 129.13, 128.88 (d, $J = 12.0$ Hz), 128.67 (d, $J = 19.5$ Hz), 127.64 (d, $J = 1.5$ Hz), 124.04 (d, $J = 3.0$ Hz), 116.23 (d, $J = 2.4$ Hz), 98.81 (d, $J = 9.0$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -112.20 - -112.24. HRMS (ESI) m/z calcd. for $\text{C}_{21}\text{H}_{17}\text{FNO}$ $[\text{M}+\text{H}]^+$: 318.1294, found : 318.1308.



4a

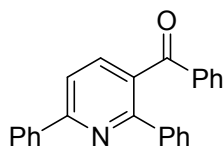
(2-fluoro-3-phenyl-2H-azirin-2-yl)(phenyl)methanone (**4a**)⁹, CAS Number: 2222482-03-1, 43.7 mg, 67% yield. ^1H NMR (600 MHz, CDCl_3) δ 8.21 (dt, $J = 8.5, 1.6$ Hz, 2H), 8.07 – 7.96 (m, 2H), 7.78 – 7.73 (m, 1H), 7.67 (dt, $J = 15.7, 7.6$ Hz, 3H), 7.57 (t, $J = 7.8$ Hz, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 192.9 (d, $J = 38.3$ Hz), 167.2 (d, $J =$

12.0 Hz), 135.0, 134.0, 130.8, 129.8, 129.8, 129.6, 128.7, 120.8, 85.0 (d, $J = 273.5$ Hz). ^{19}F NMR (565 MHz, CDCl_3) δ -144.60.



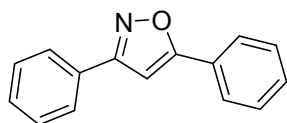
4b

phenyl(3-phenyl-2-(2,2,2-trifluoroethoxy)-2H-azirin-2-yl)methanone (**4b**)¹⁰, CAS Number: 1488363-00-3, white solid, mp 85-87 °C, 43.7 mg, 77% yield. ^1H NMR (600 MHz, CDCl_3) δ 8.11 (d, $J = 7.0$ Hz, 2H), 7.96 (d, $J = 8.0$ Hz, 2H), 7.67 (t, $J = 7.0$ Hz, 1H), 7.60 (t, $J = 8.0$ Hz, 3H), 7.48 (t, $J = 8.0$ Hz, 2H), 3.99-3.97 (m, 2H). ^{13}C NMR (150 MHz, CDCl_3) δ 194.7, 165.3, 135.4, 134.6, 133.5, 130.5, 129.6, 129.5, 128.6, 123.1 ($J_{\text{F-C}} = 276$ Hz), 121.6, 73.9, 63.9 ($J_{\text{F-C}} = 36$ Hz). ^{19}F NMR (566 MHz, CDCl_3) δ -74.1 (s, 3F).



4c

(2,6-diphenylpyridin-3-yl)(phenyl)methanone (**4c**)¹¹, CAS Number: 1415664-29-7, 51.6 mg, 77% yield. ^1H NMR (600 MHz, CDCl_3) δ 8.27 – 8.19 (m, 2H), 7.98 (d, $J = 8.0$ Hz, 1H), 7.88 (d, $J = 8.0$ Hz, 1H), 7.78 – 7.71 (m, 2H), 7.69 – 7.63 (m, 2H), 7.56 (t, $J = 7.4$ Hz, 2H), 7.54 – 7.50 (m, 1H), 7.49 – 7.46 (m, 1H), 7.34 (t, $J = 7.7$ Hz, 2H), 7.32 – 7.24 (m, 3H). ^{13}C NMR (150 MHz, CDCl_3) δ 197.6, 158.1, 157.3, 139.5, 138.5, 138.3, 136.9, 133.3, 132.6, 129.9, 129.7, 129.5, 128.9, 128.9, 128.4, 128.3, 127.3, 117.9.



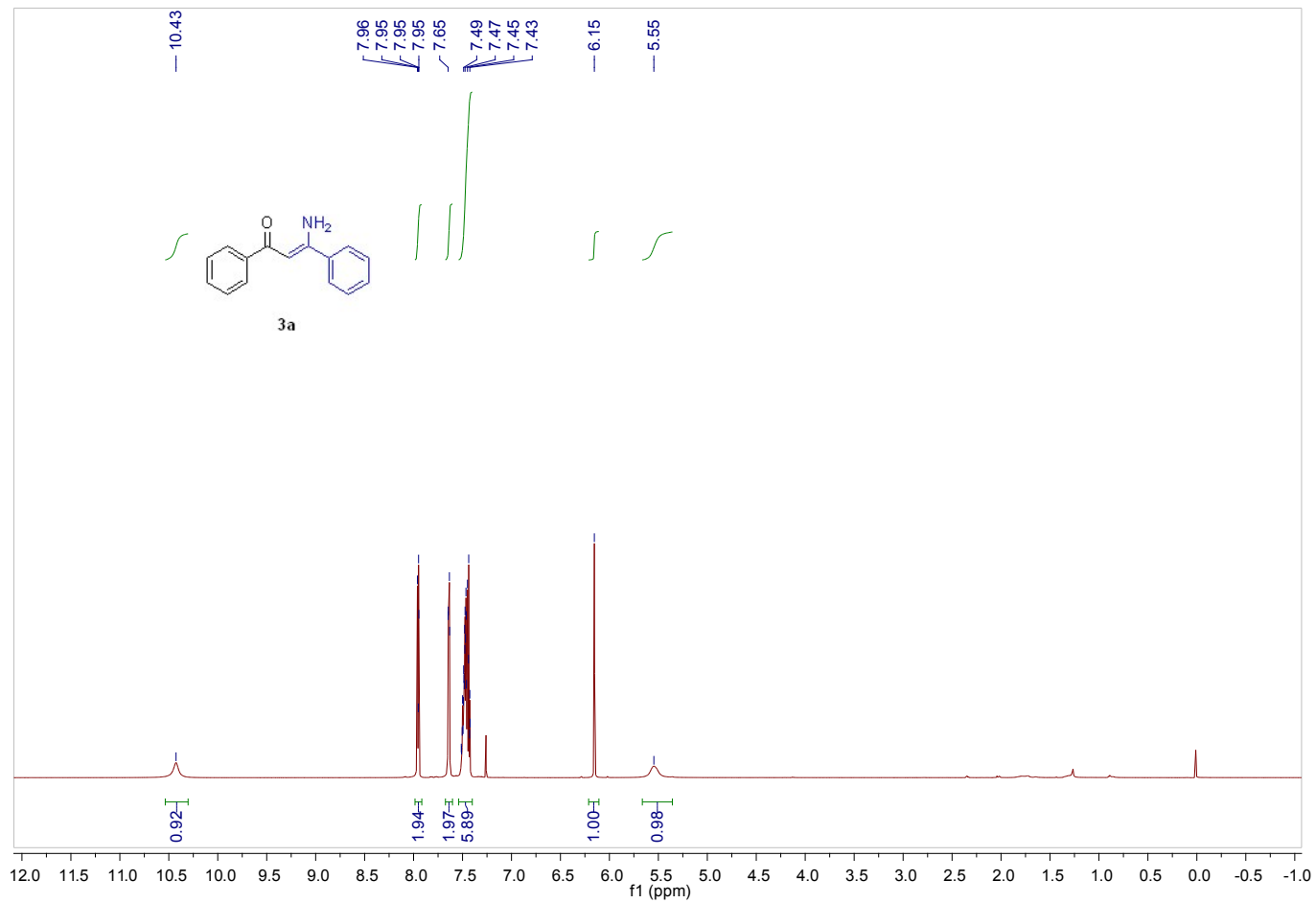
4d

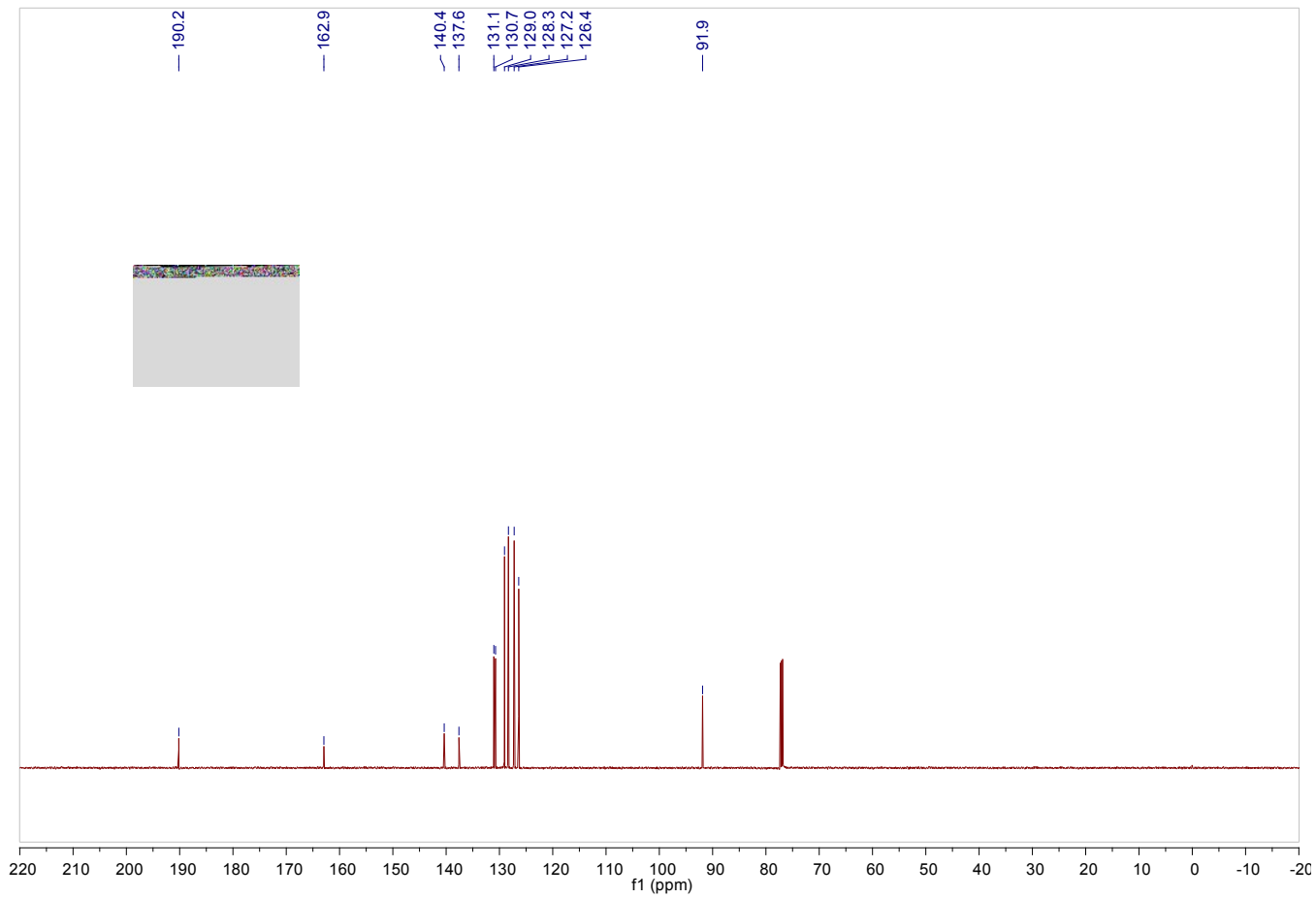
3,5-diphenylisoxazole (**4d**)⁵, CAS Number: 2039-49-8, 43.7 mg, 89% yield. ¹H NMR (600 MHz, CDCl₃) δ 7.93 – 7.89 (m, 2H), 7.89 – 7.84 (m, 2H), 7.51 (tdt, *J* = 10.9, 7.1, 3.7 Hz, 6H), 6.86 (s, 1H). ¹³C NMR (150 MHz, CDCl₃) δ 170.4, 163.0, 130.3, 130.1, 129.1, 129.0, 129.0, 127.5, 126.8, 125.9, 97.5.

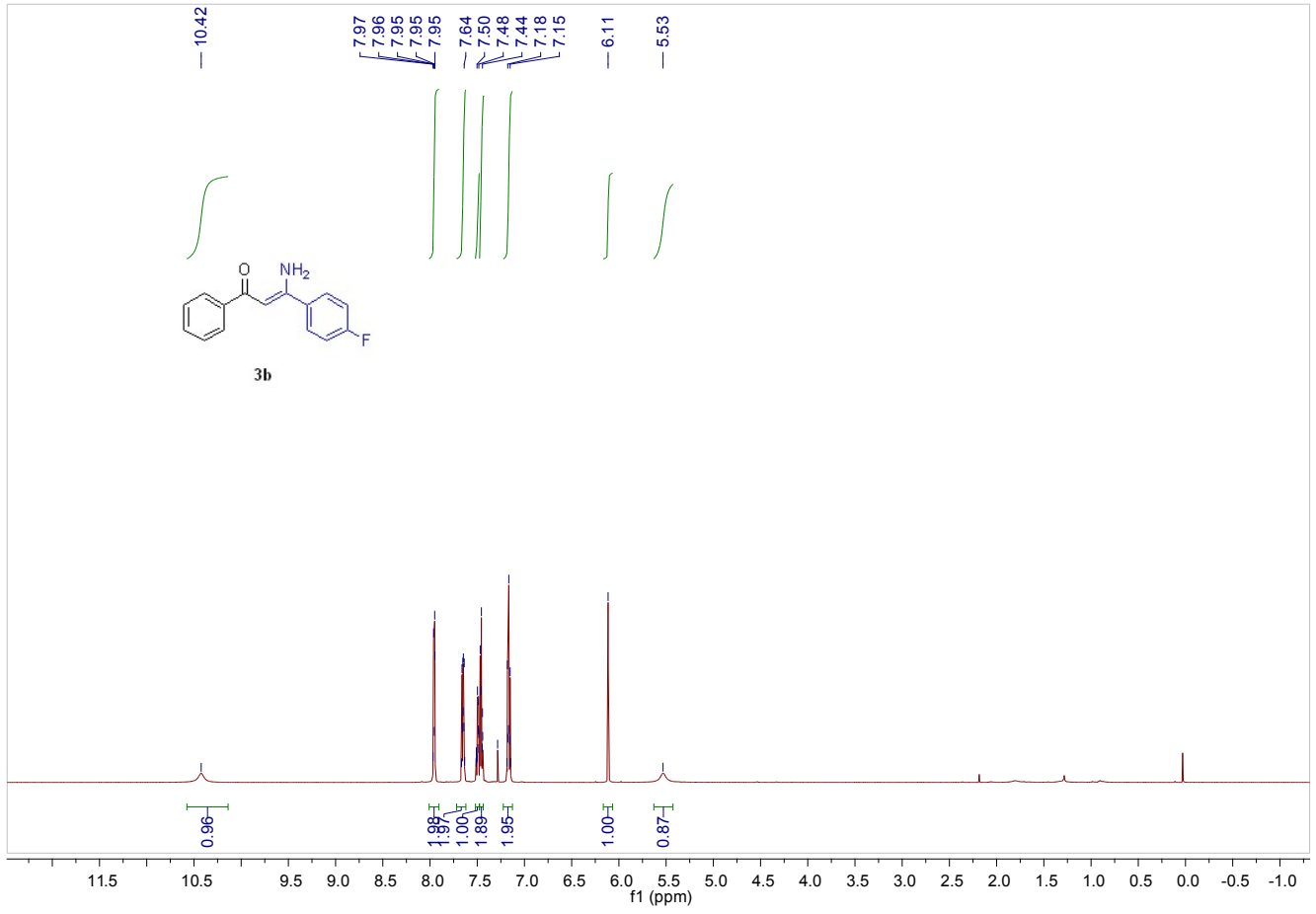
5. References

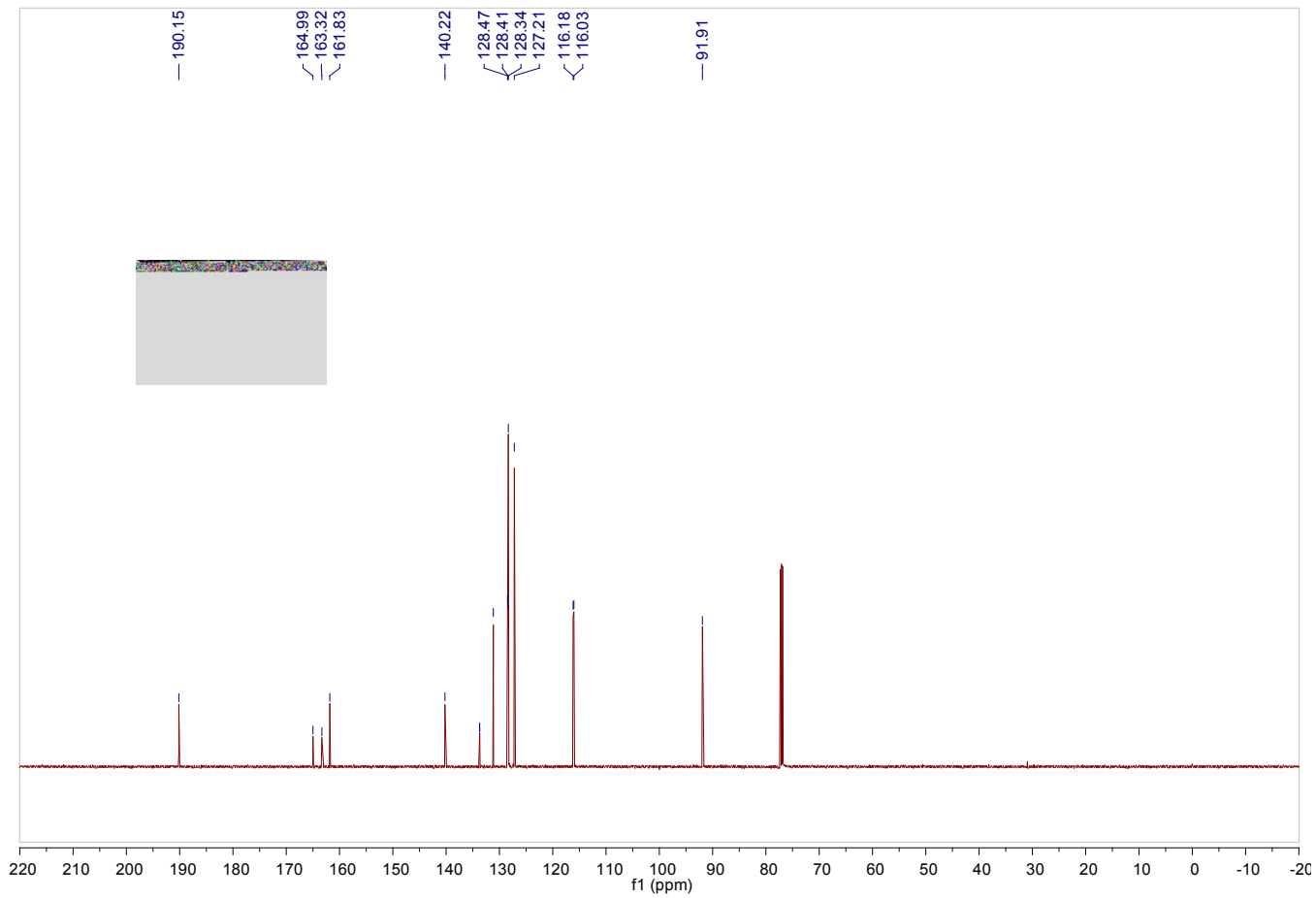
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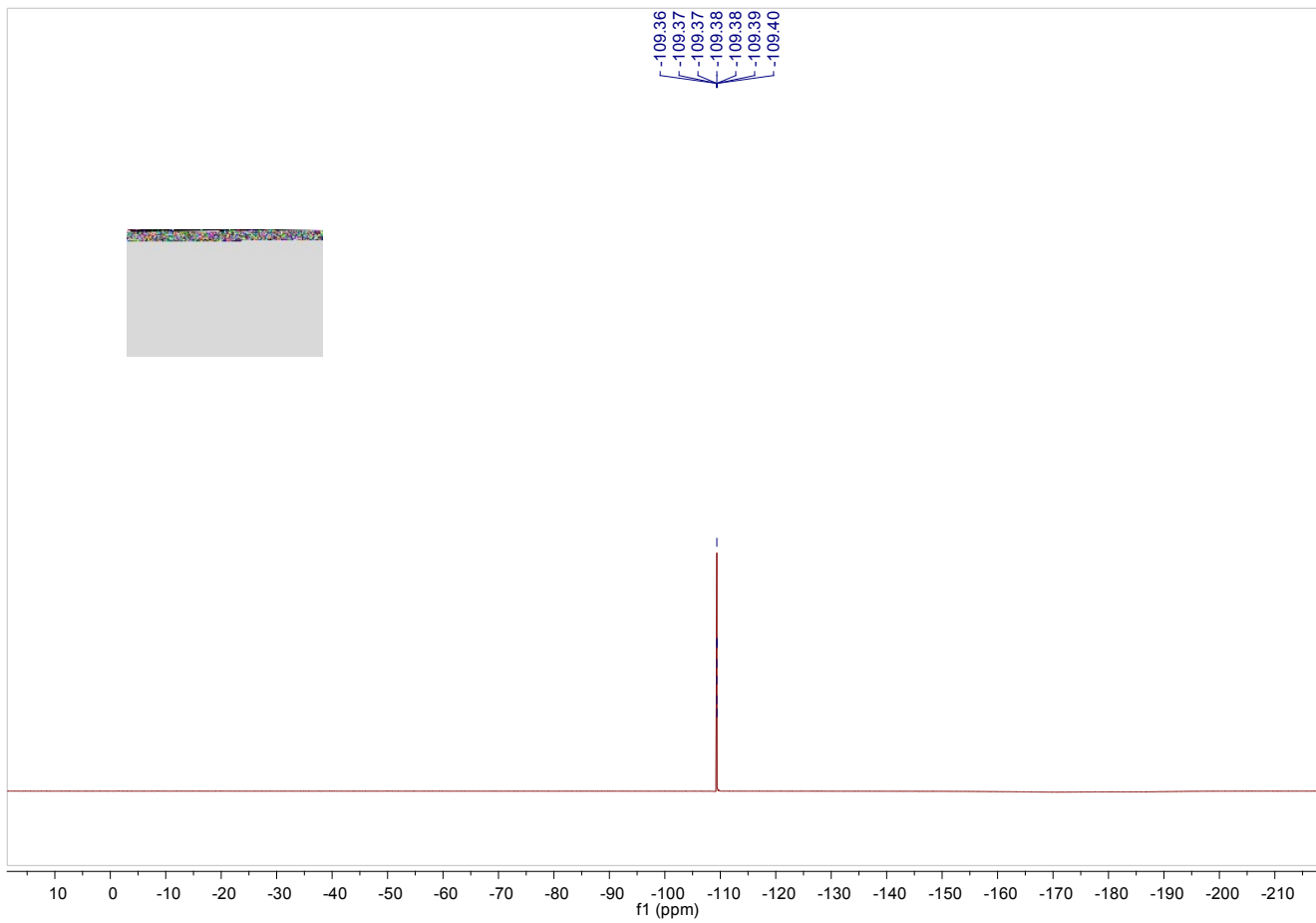
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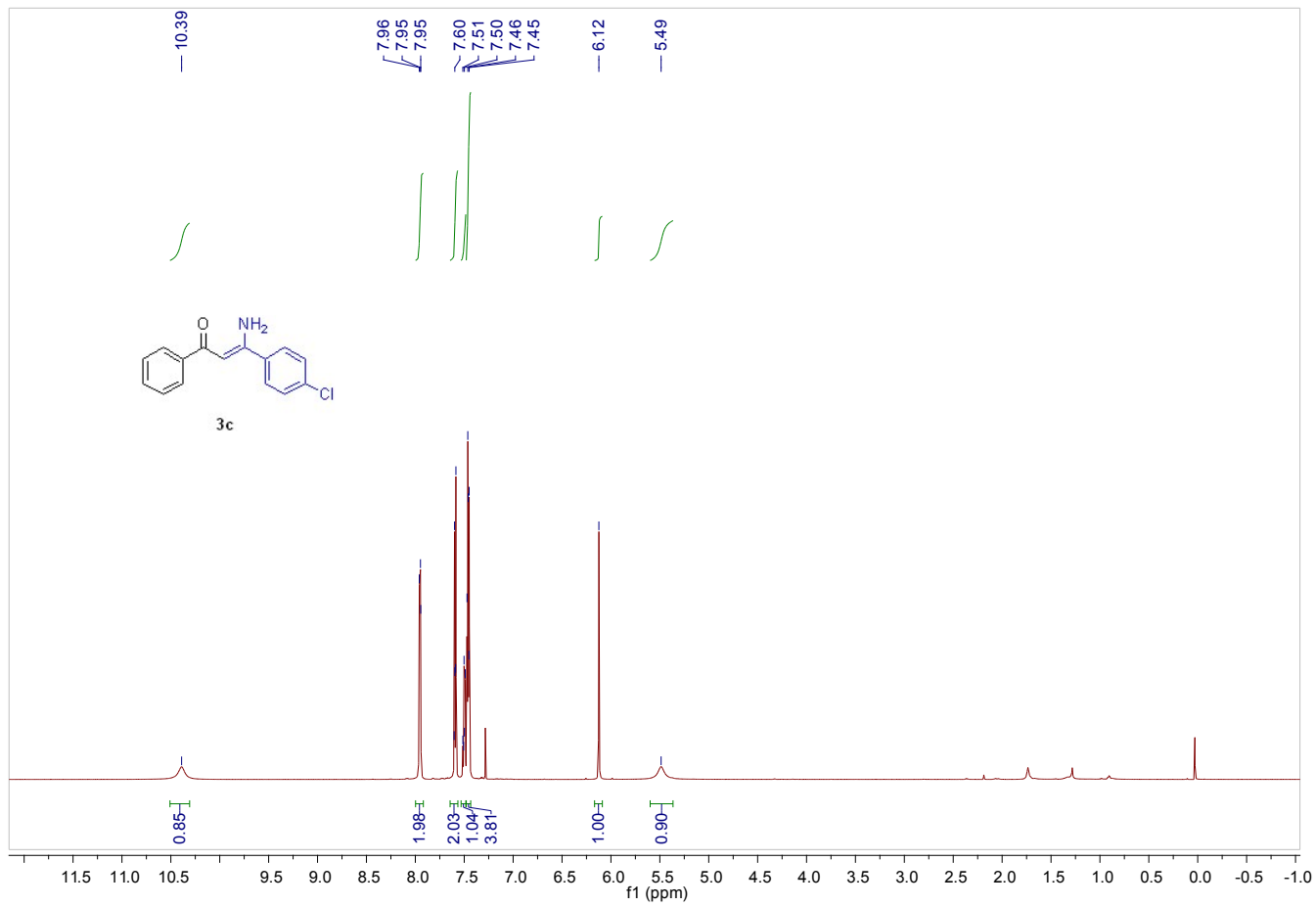


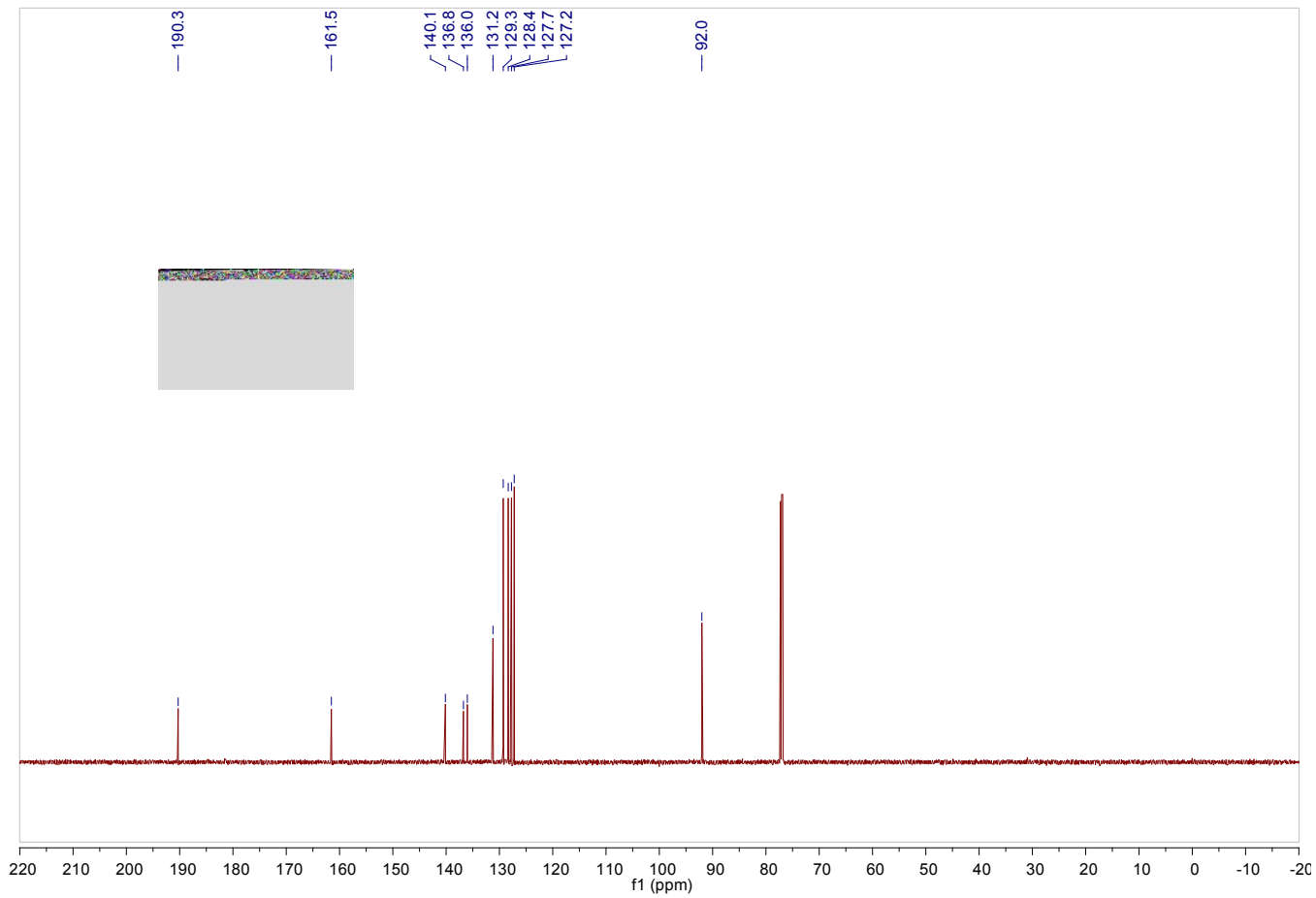


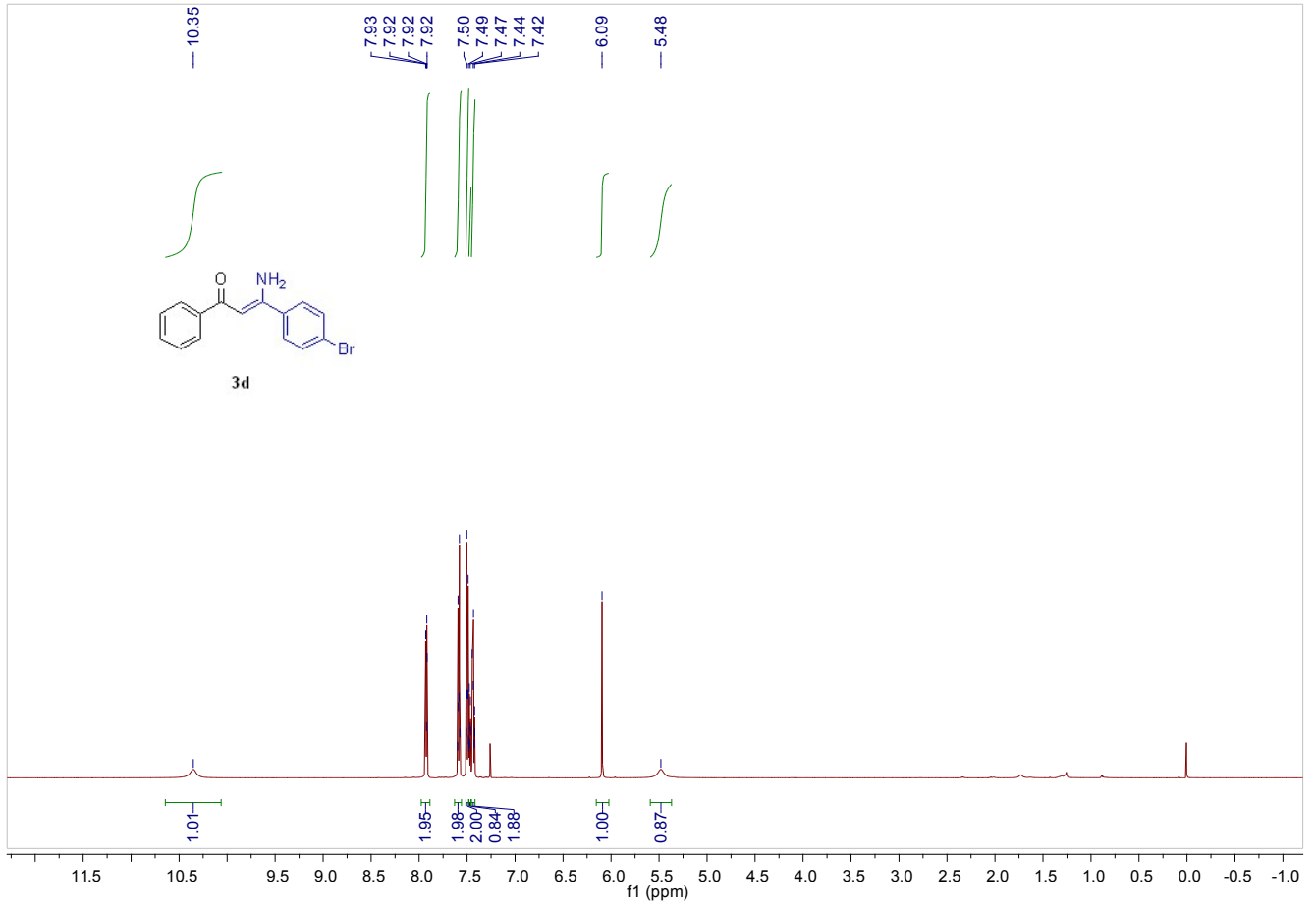


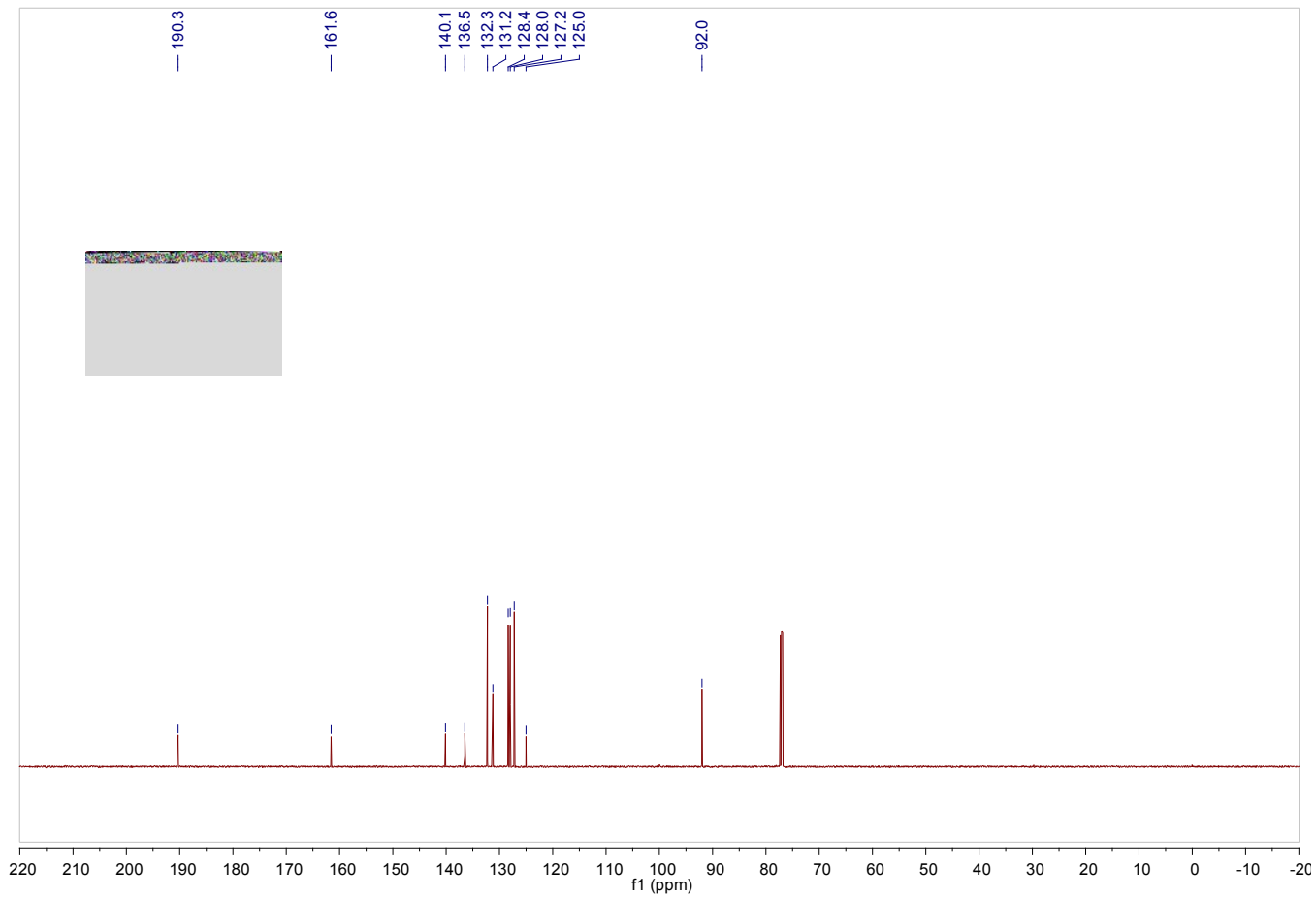


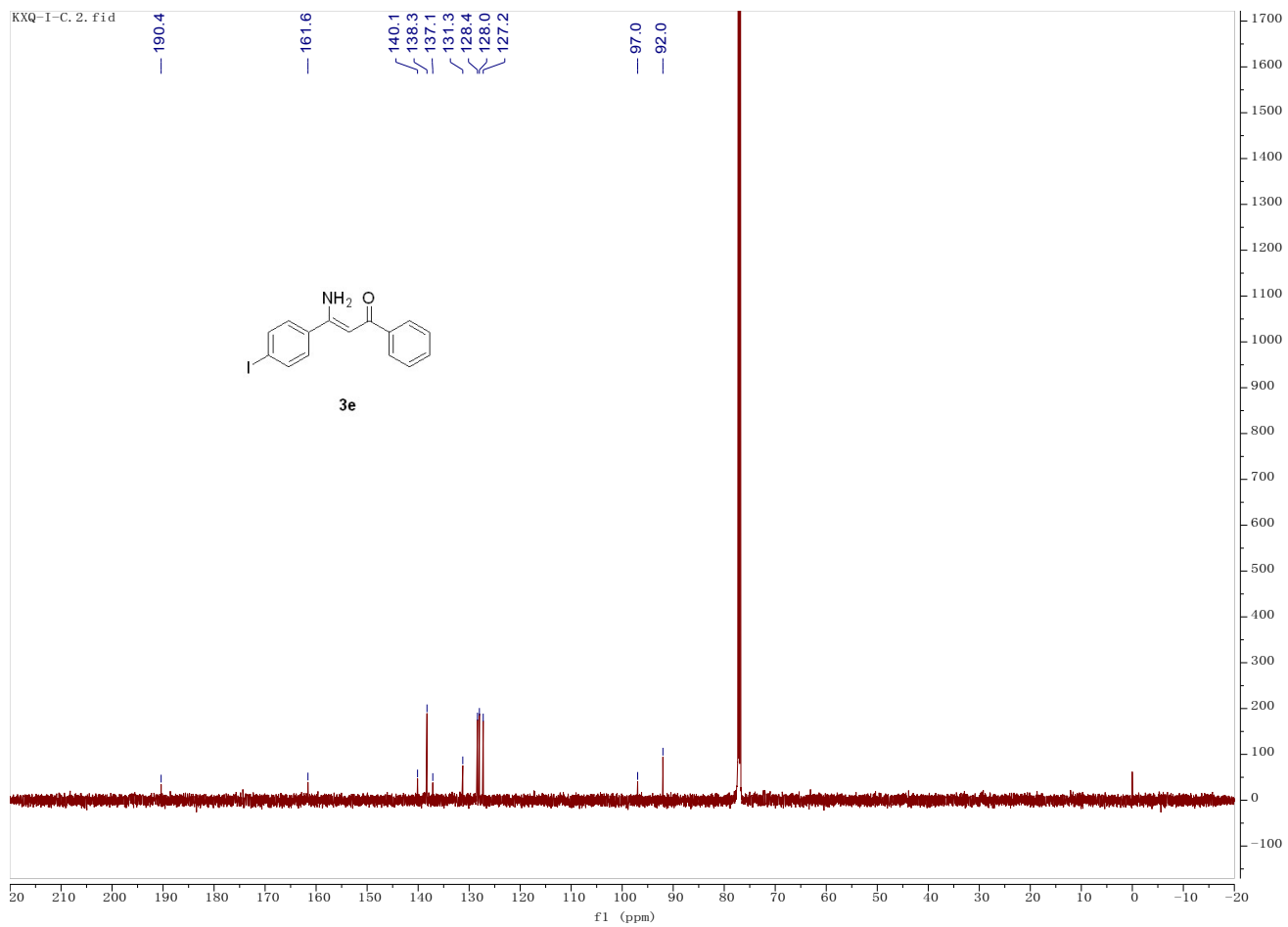


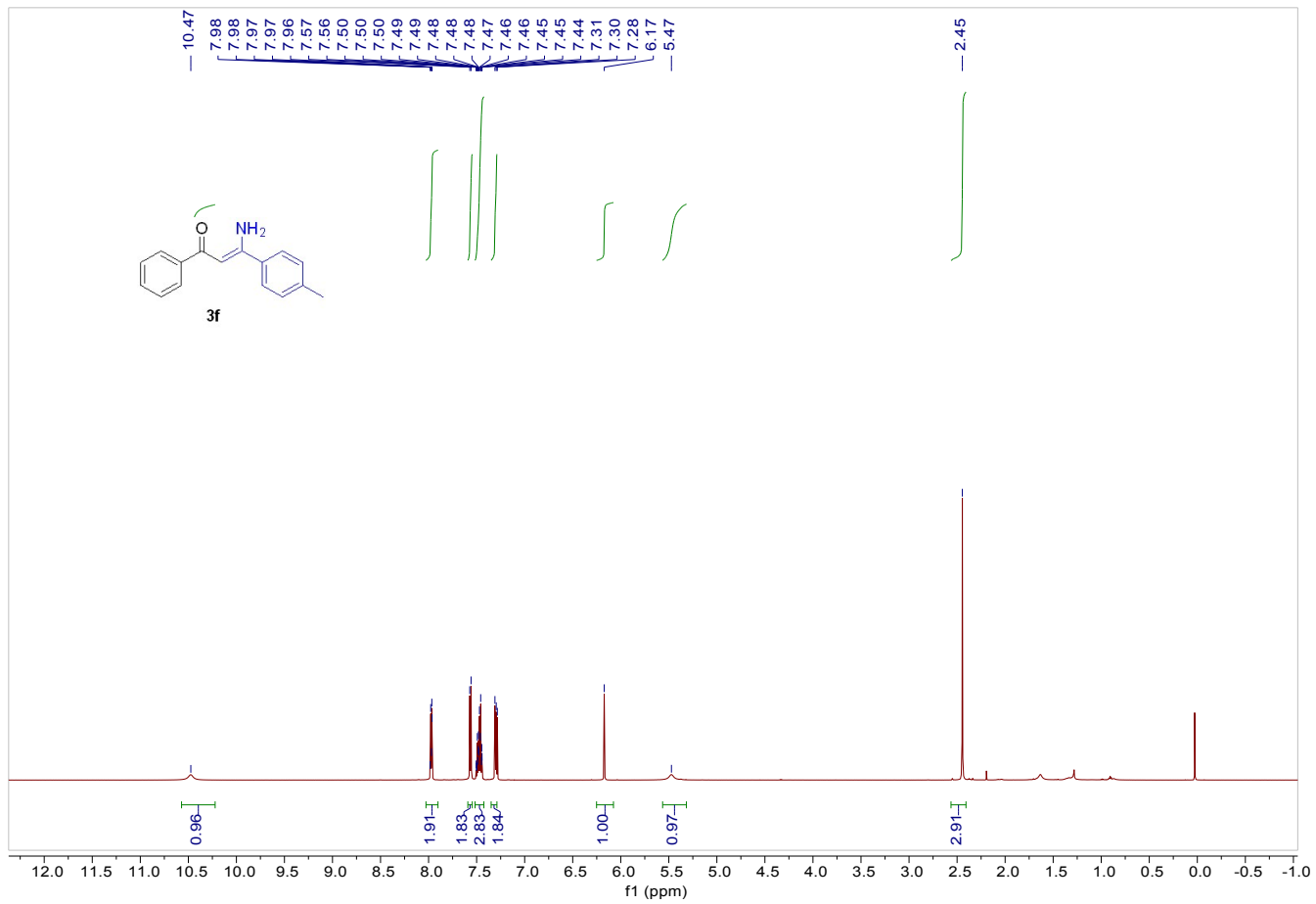


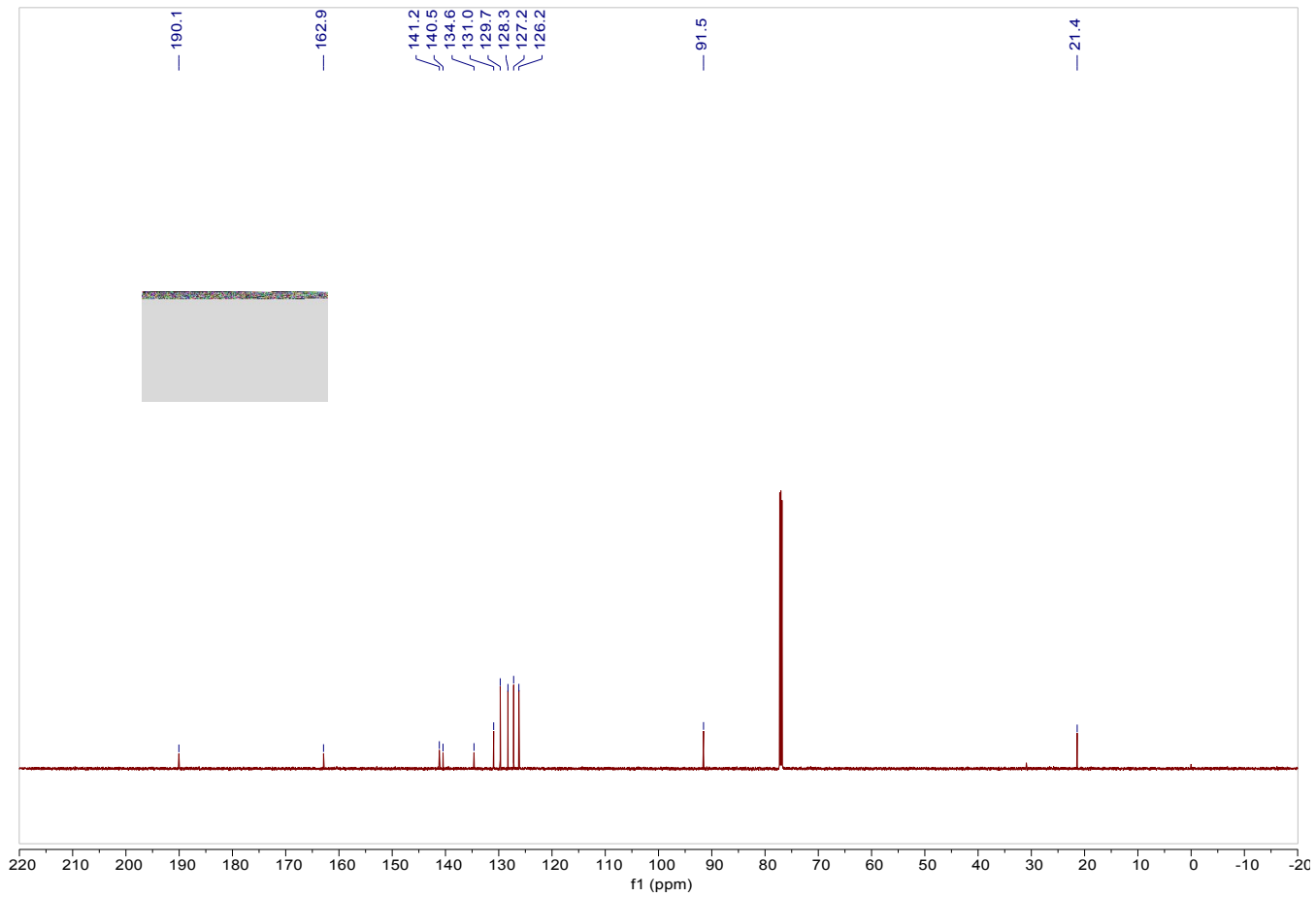


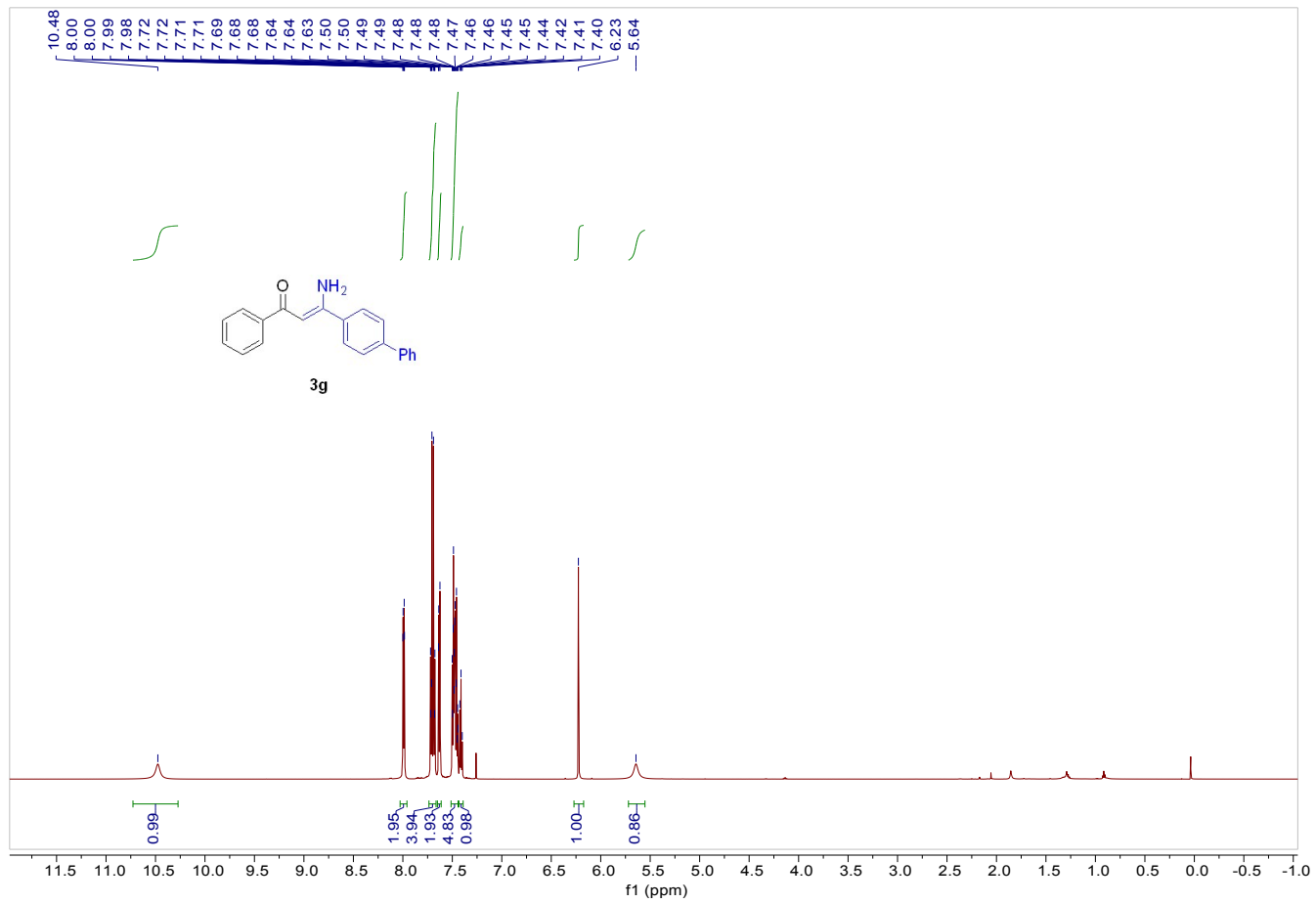


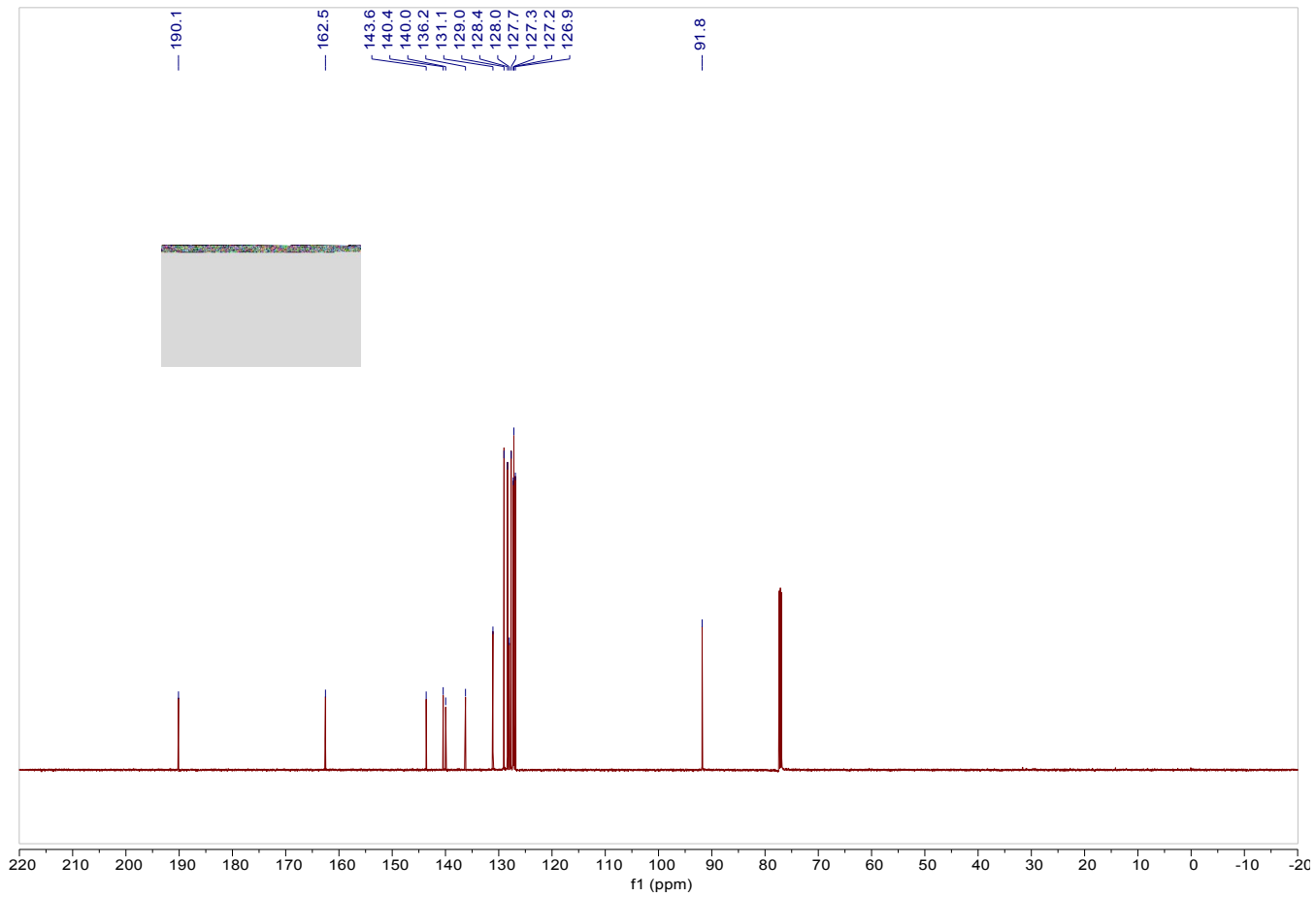


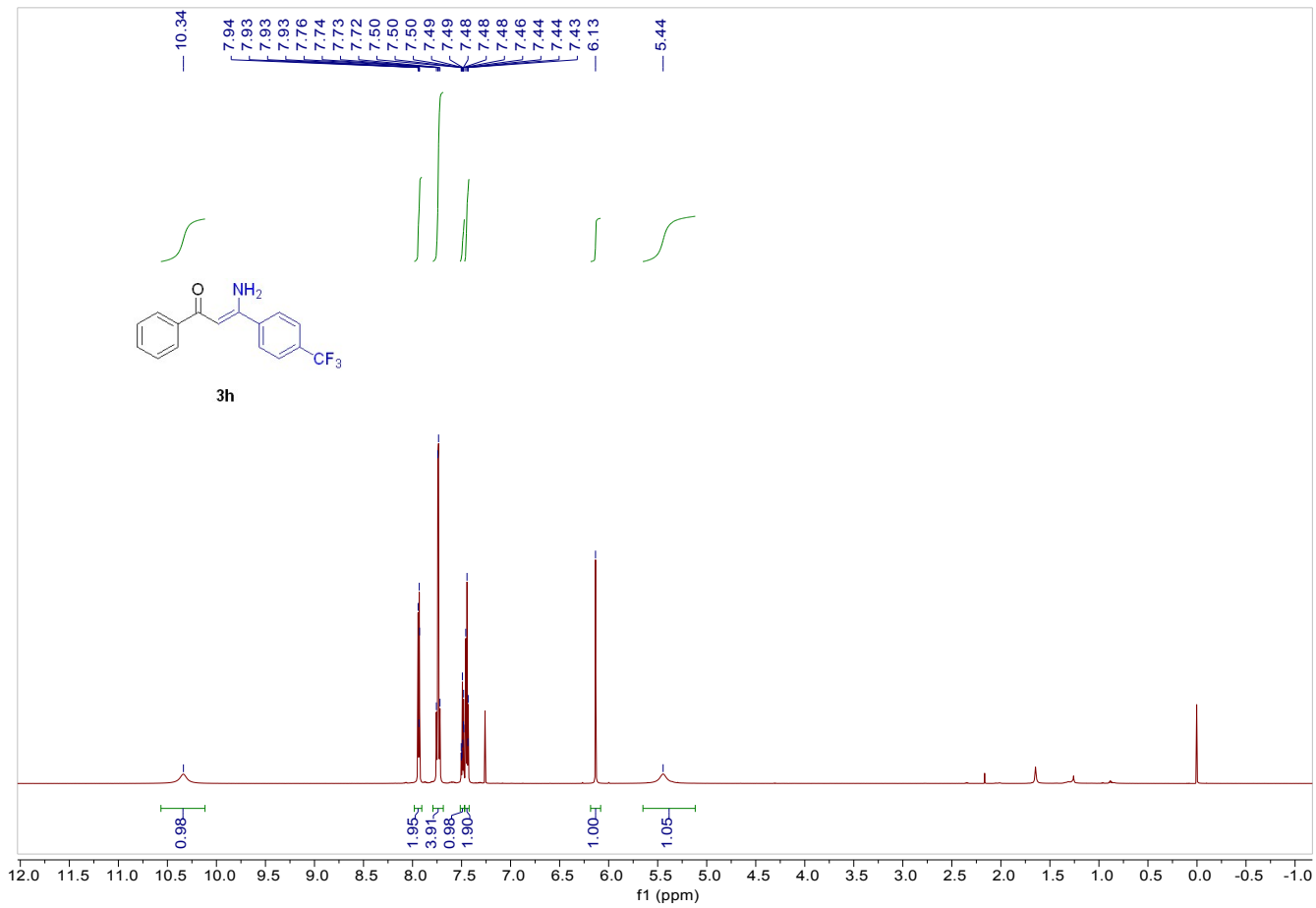


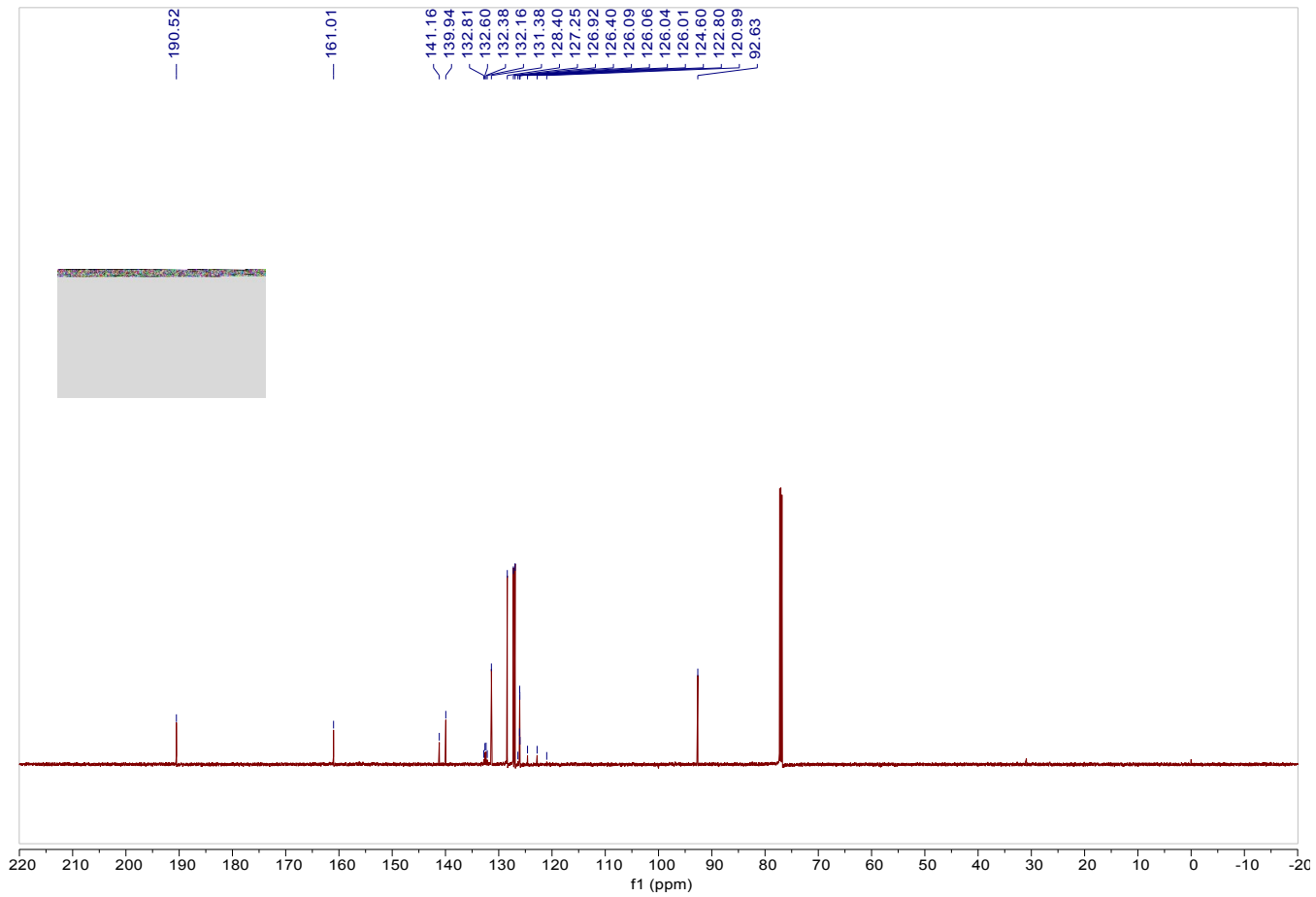


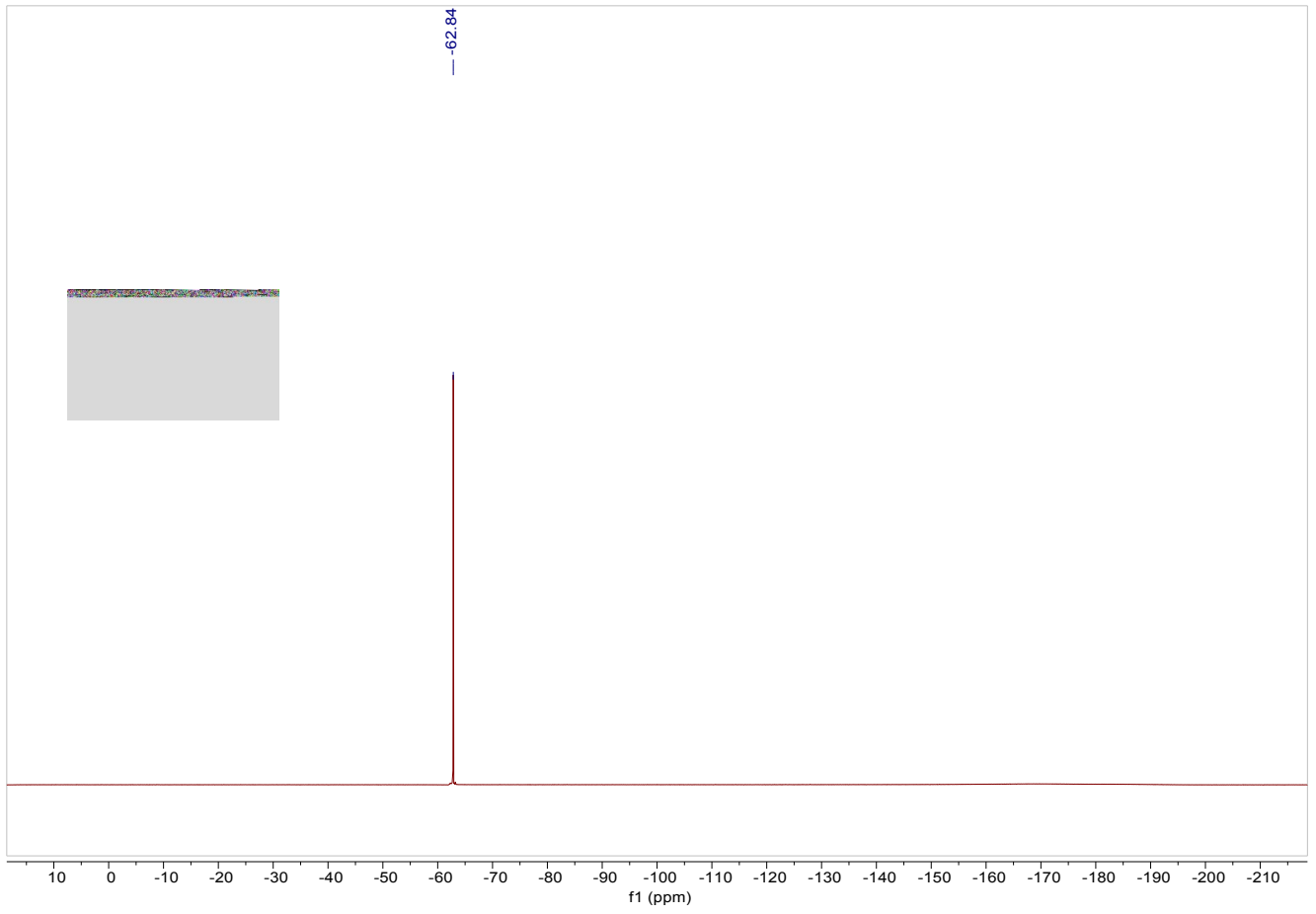


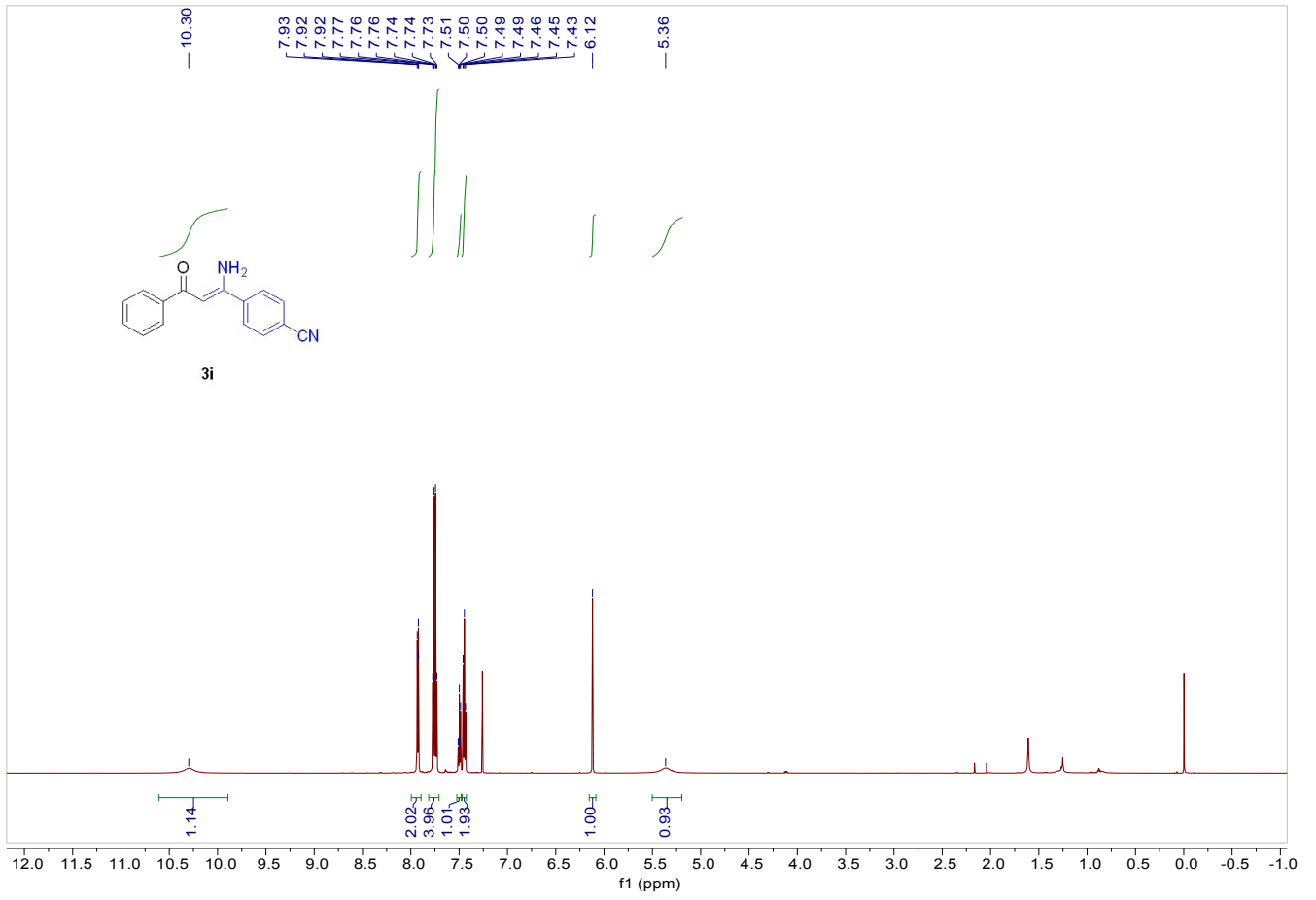


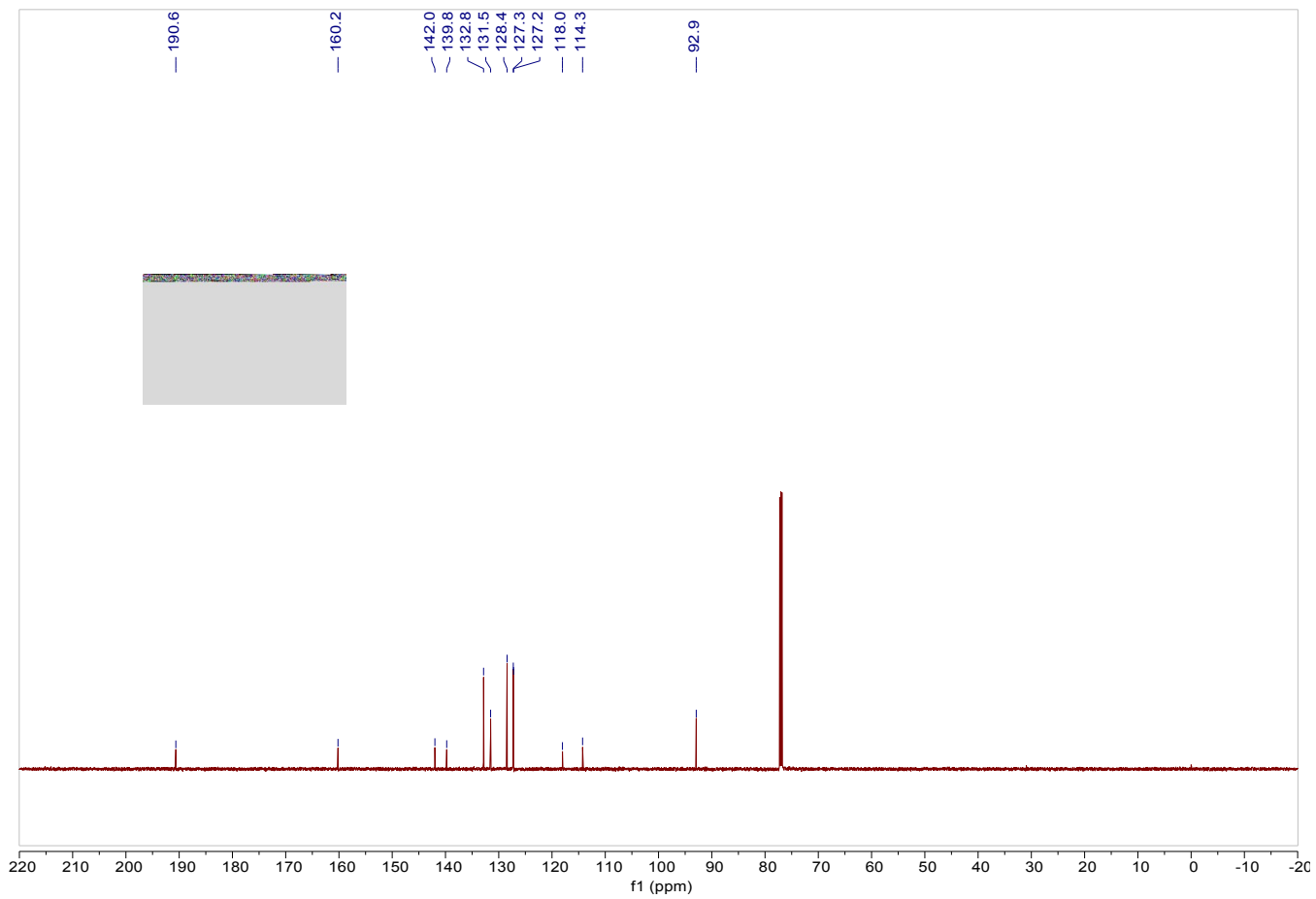


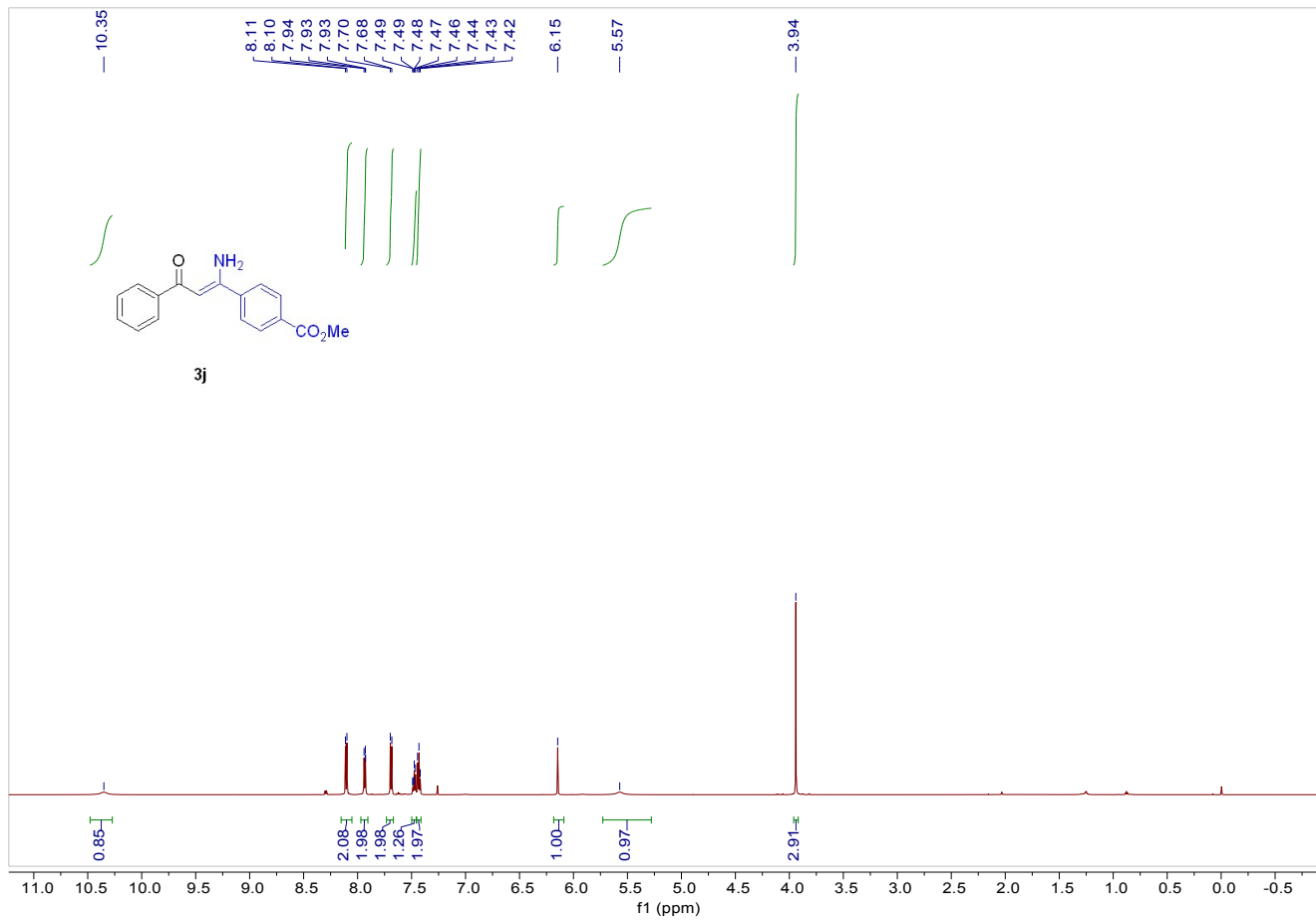


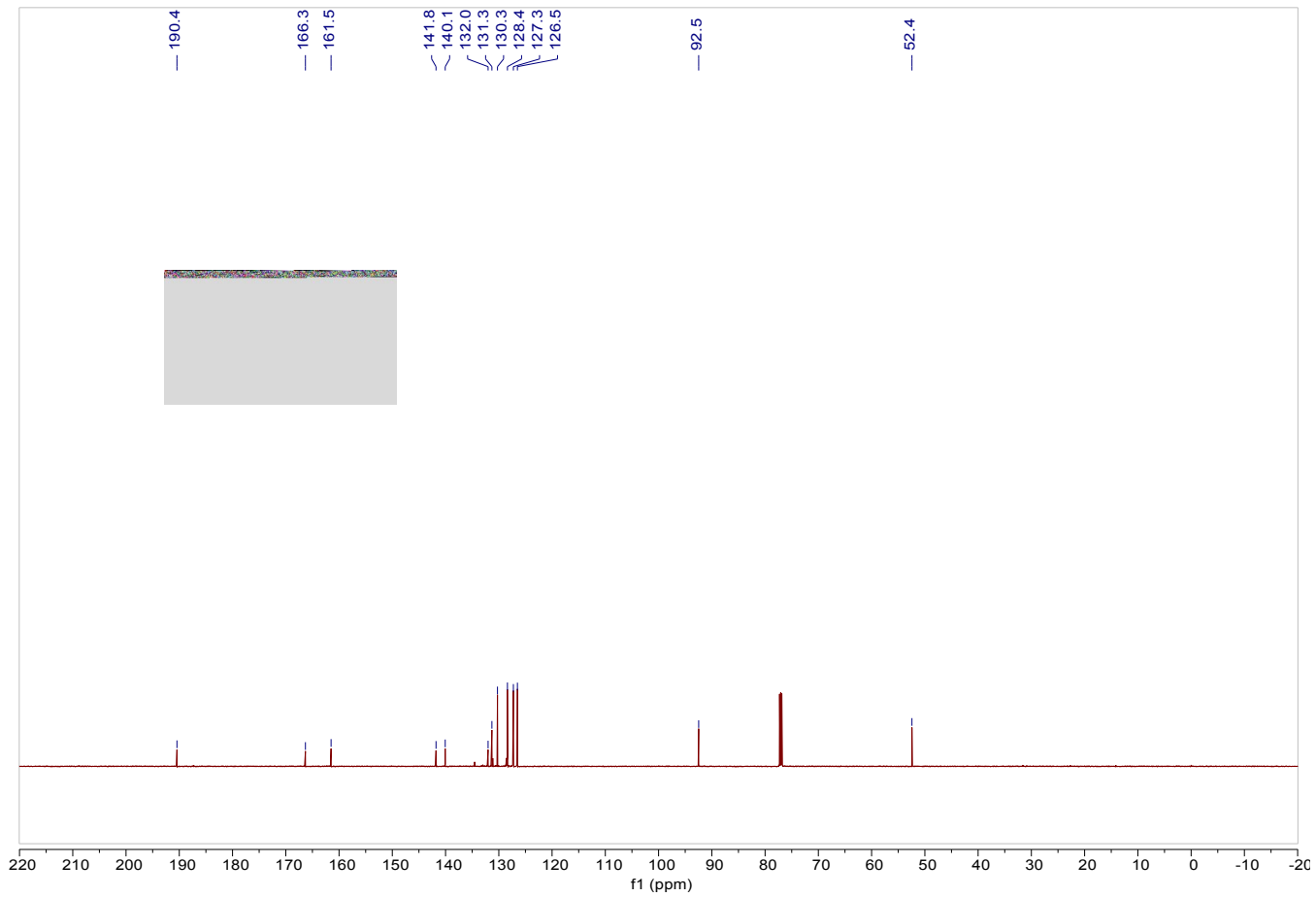


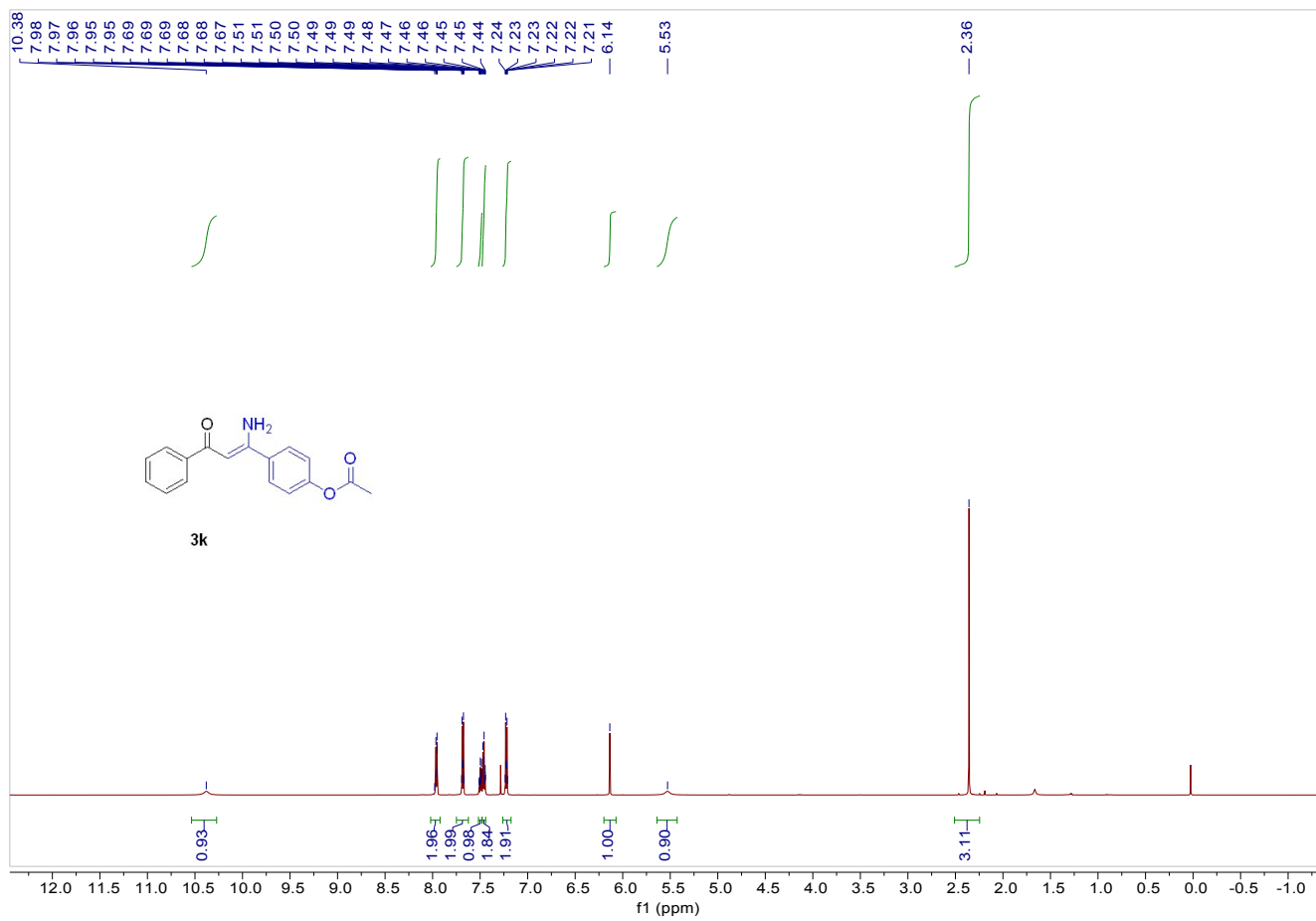


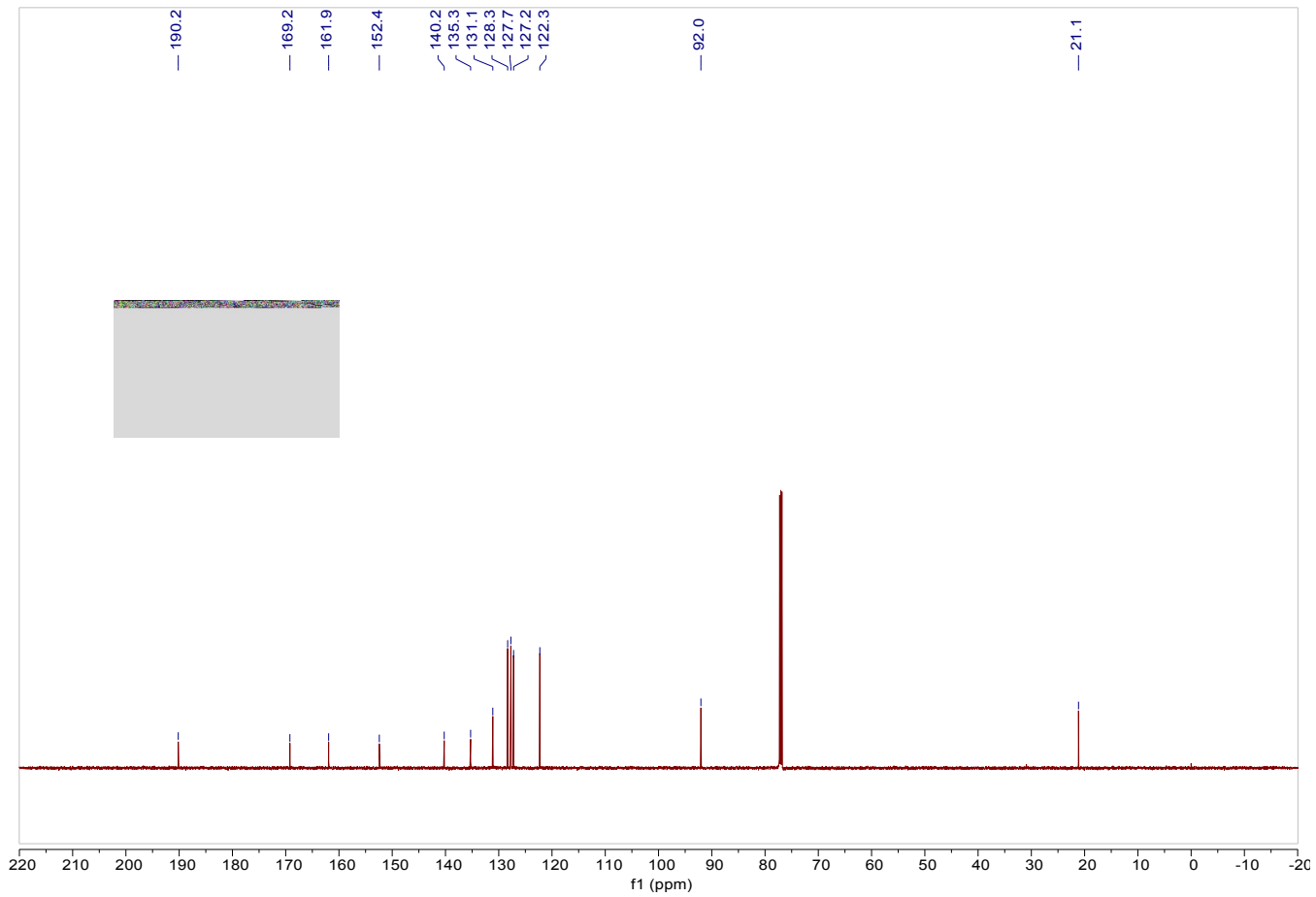


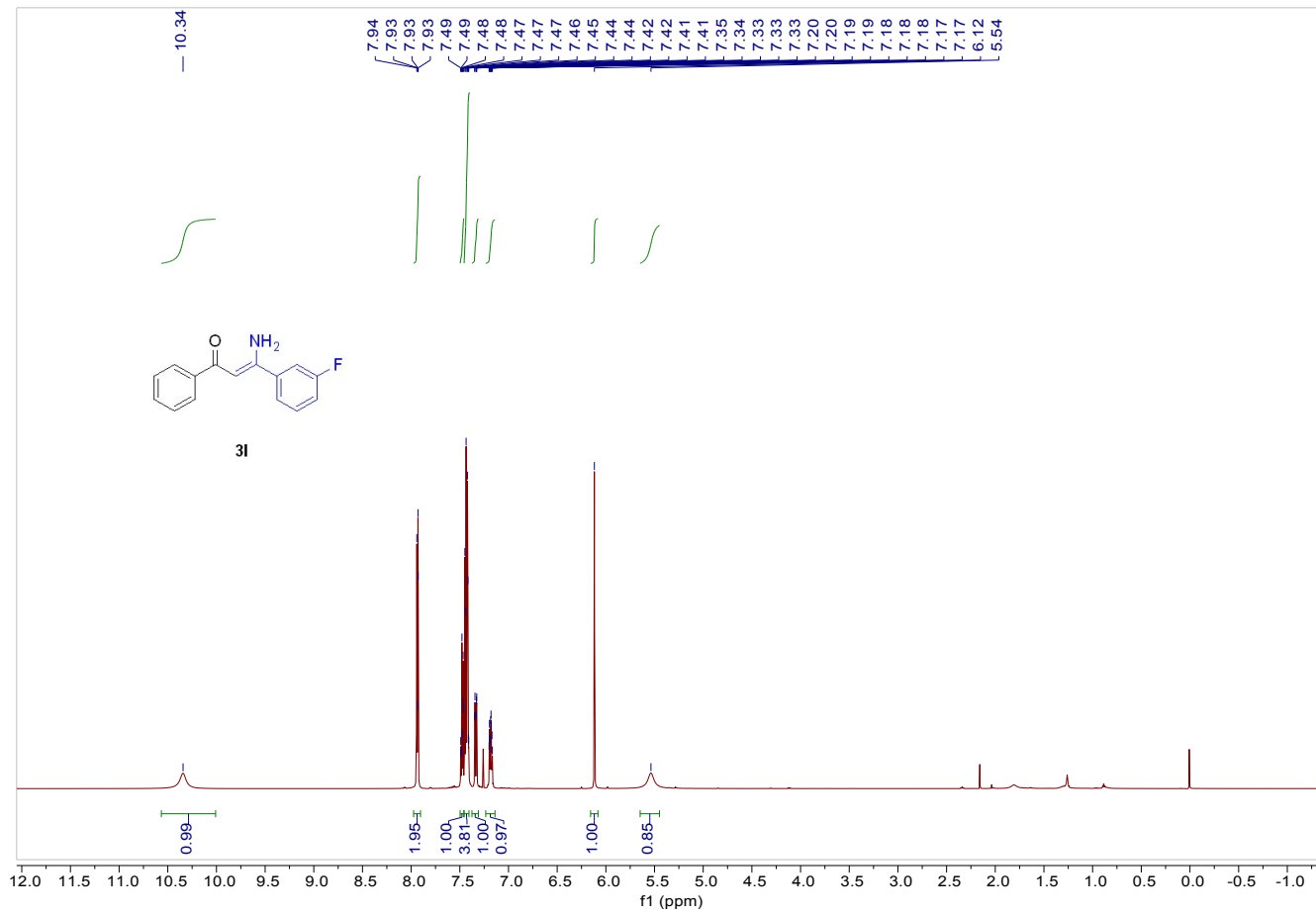


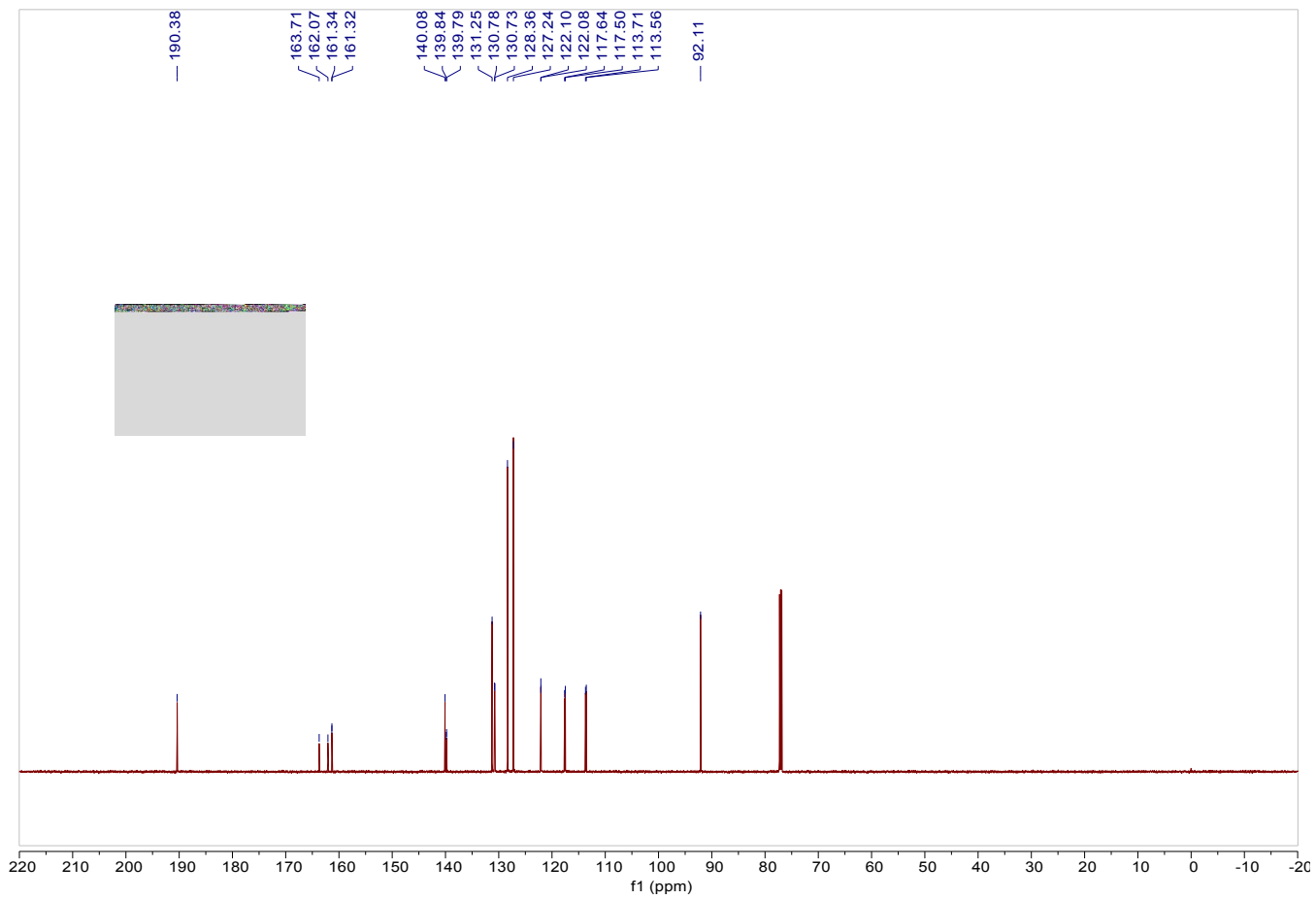


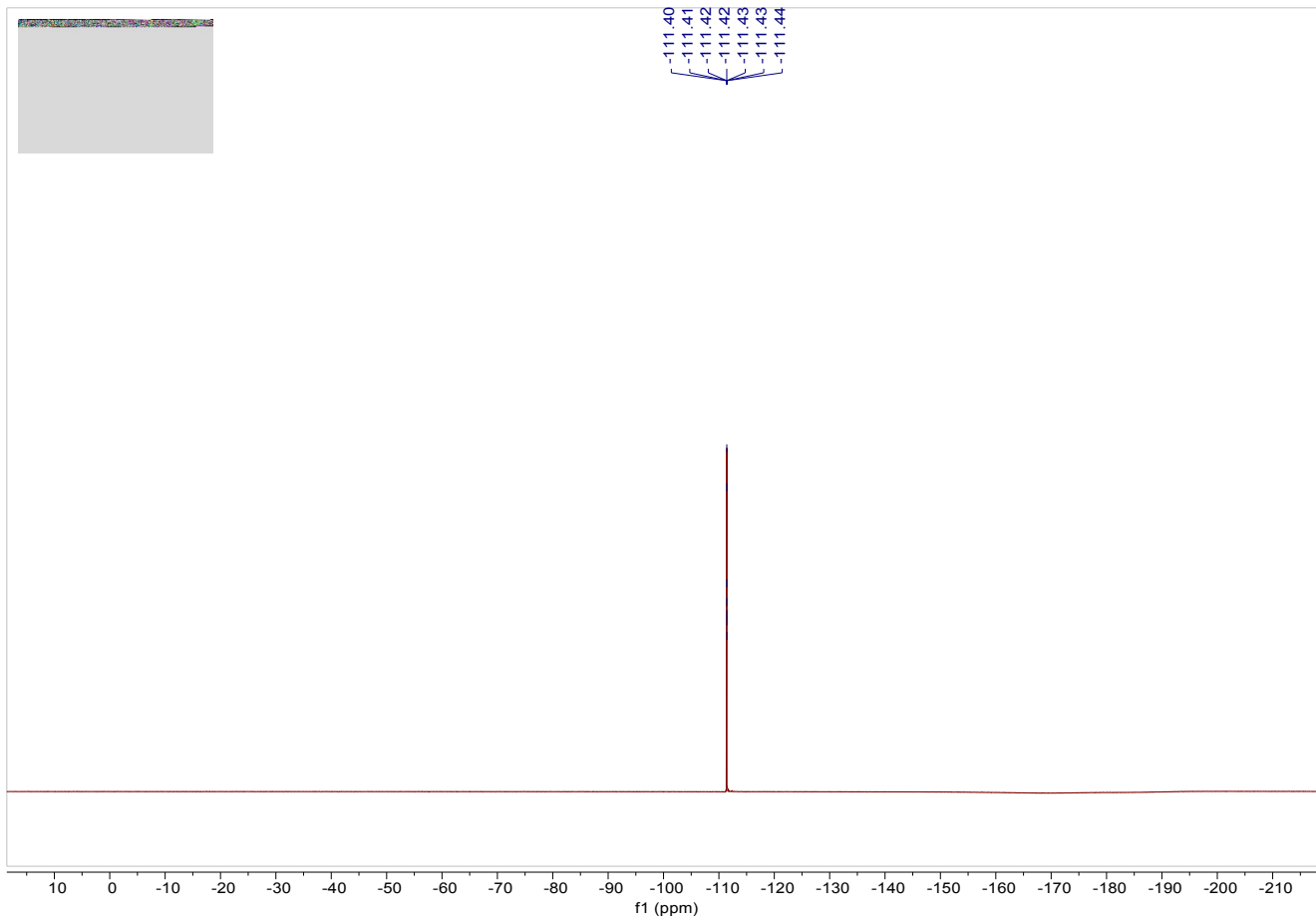


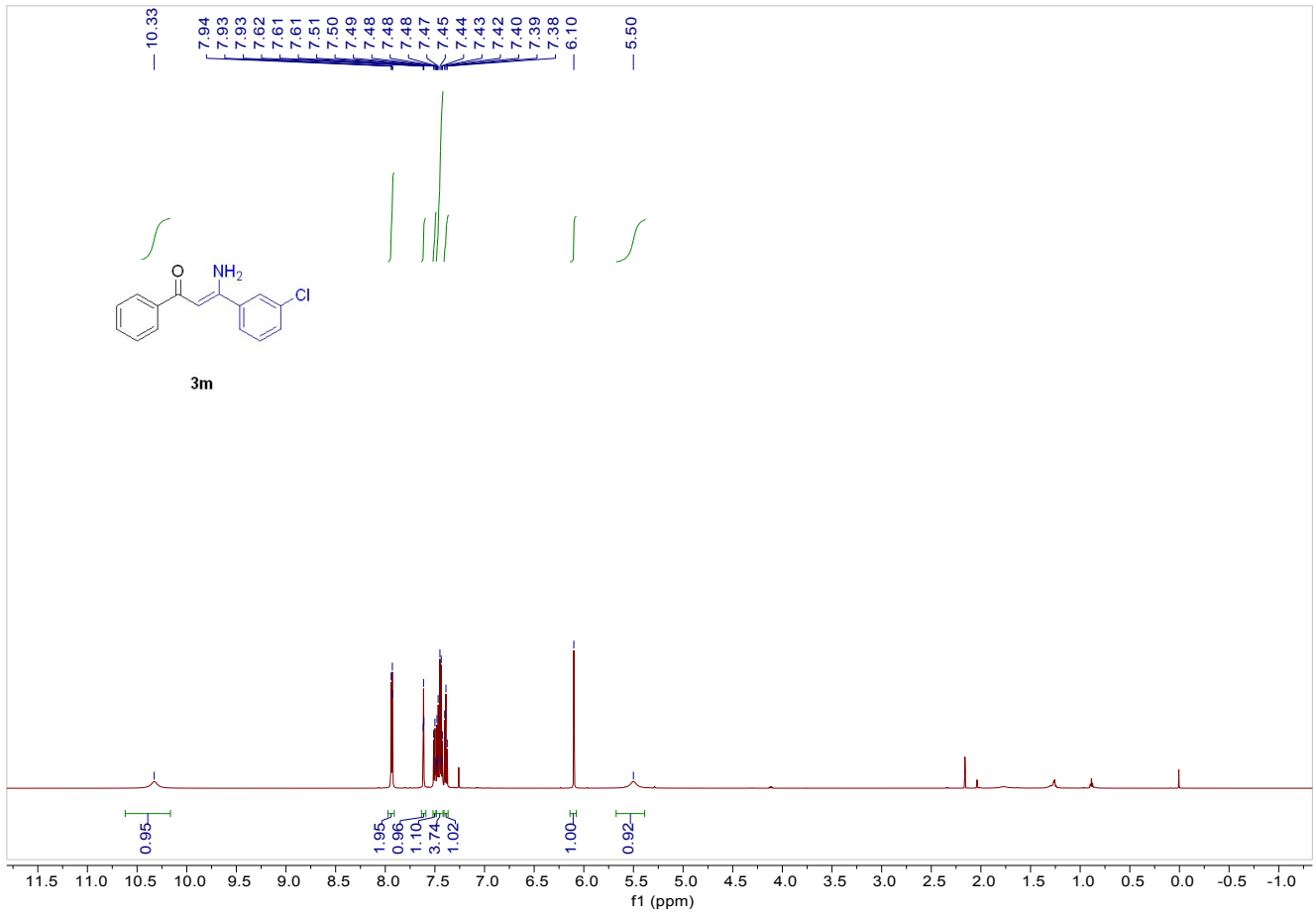


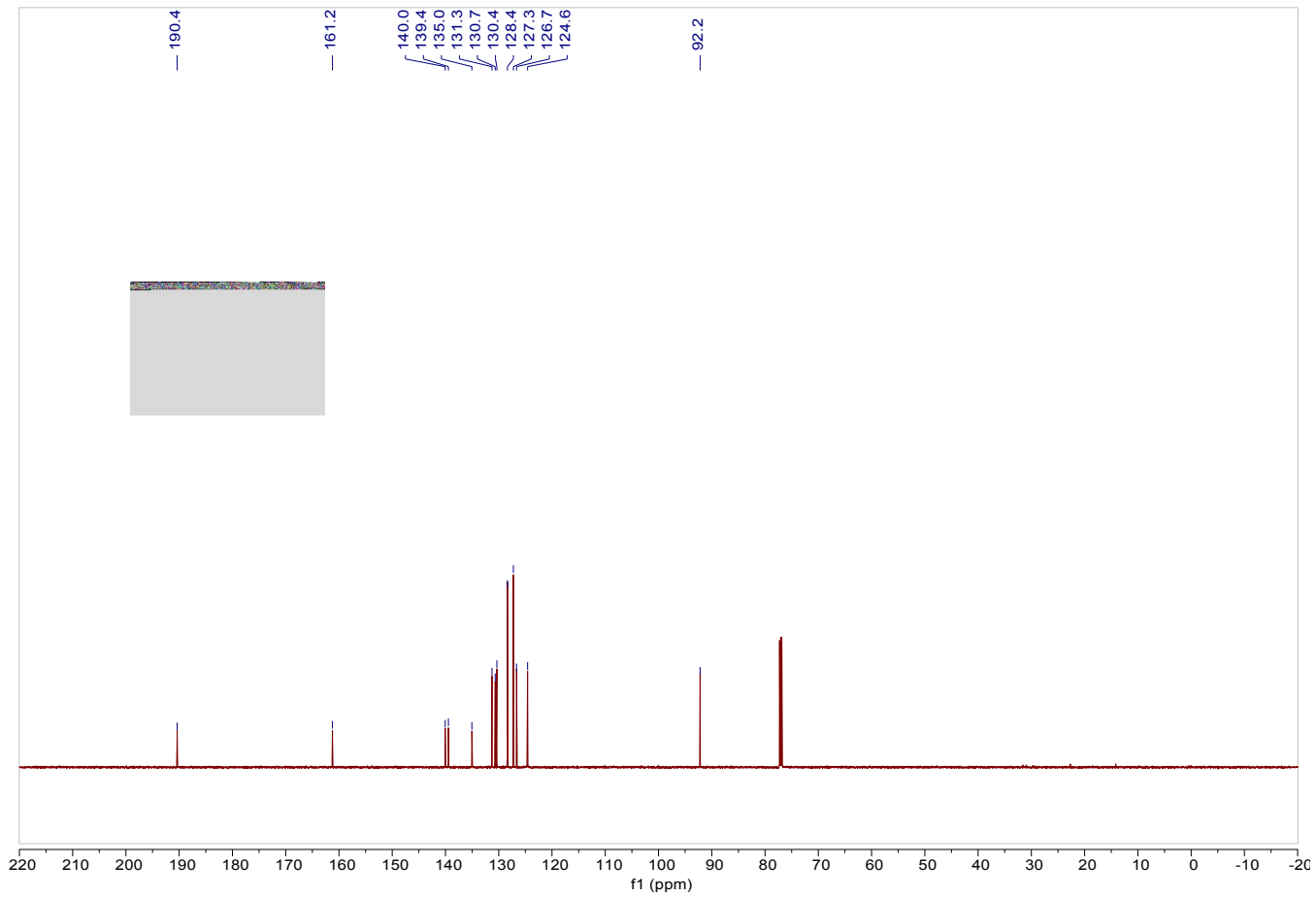


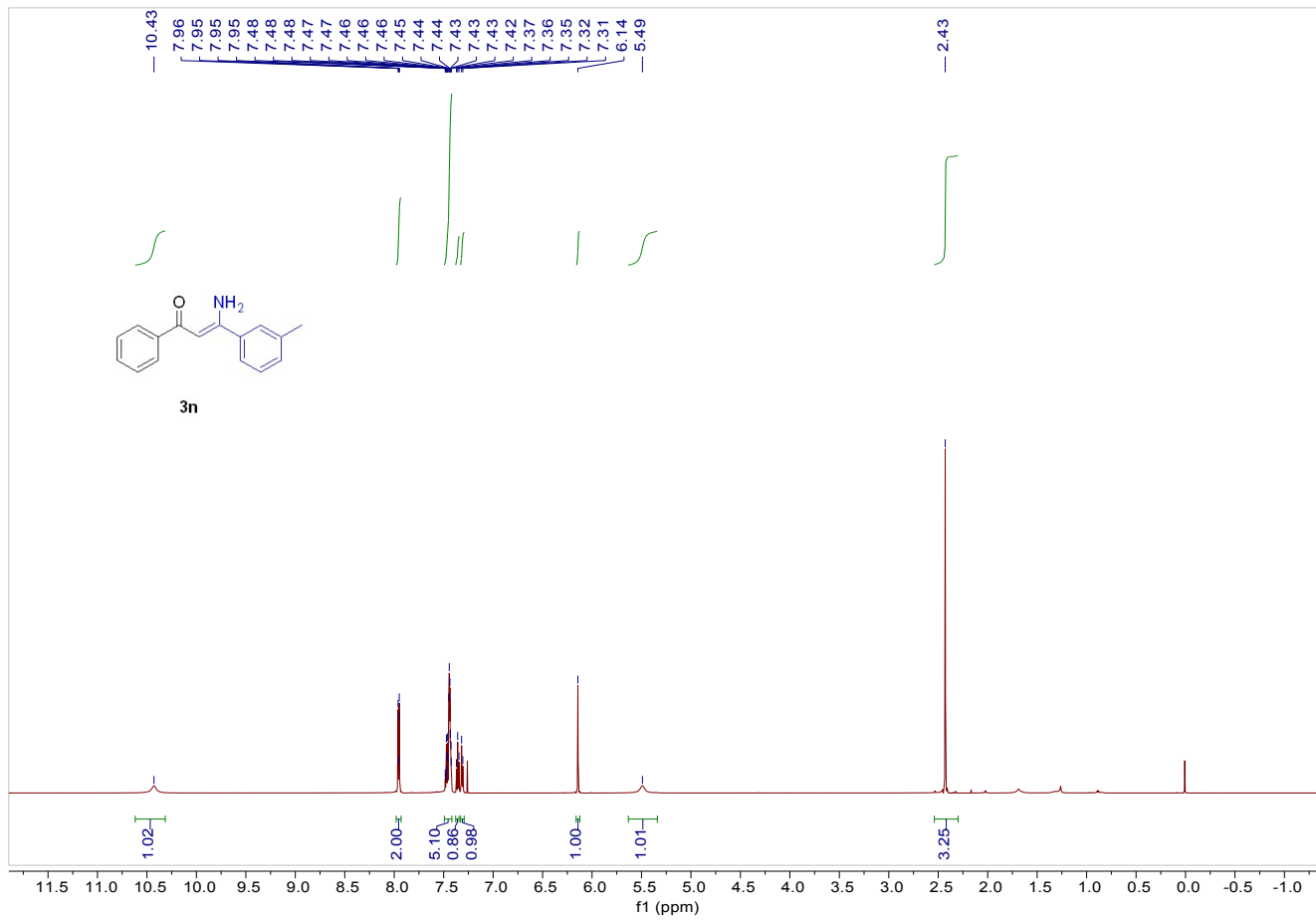


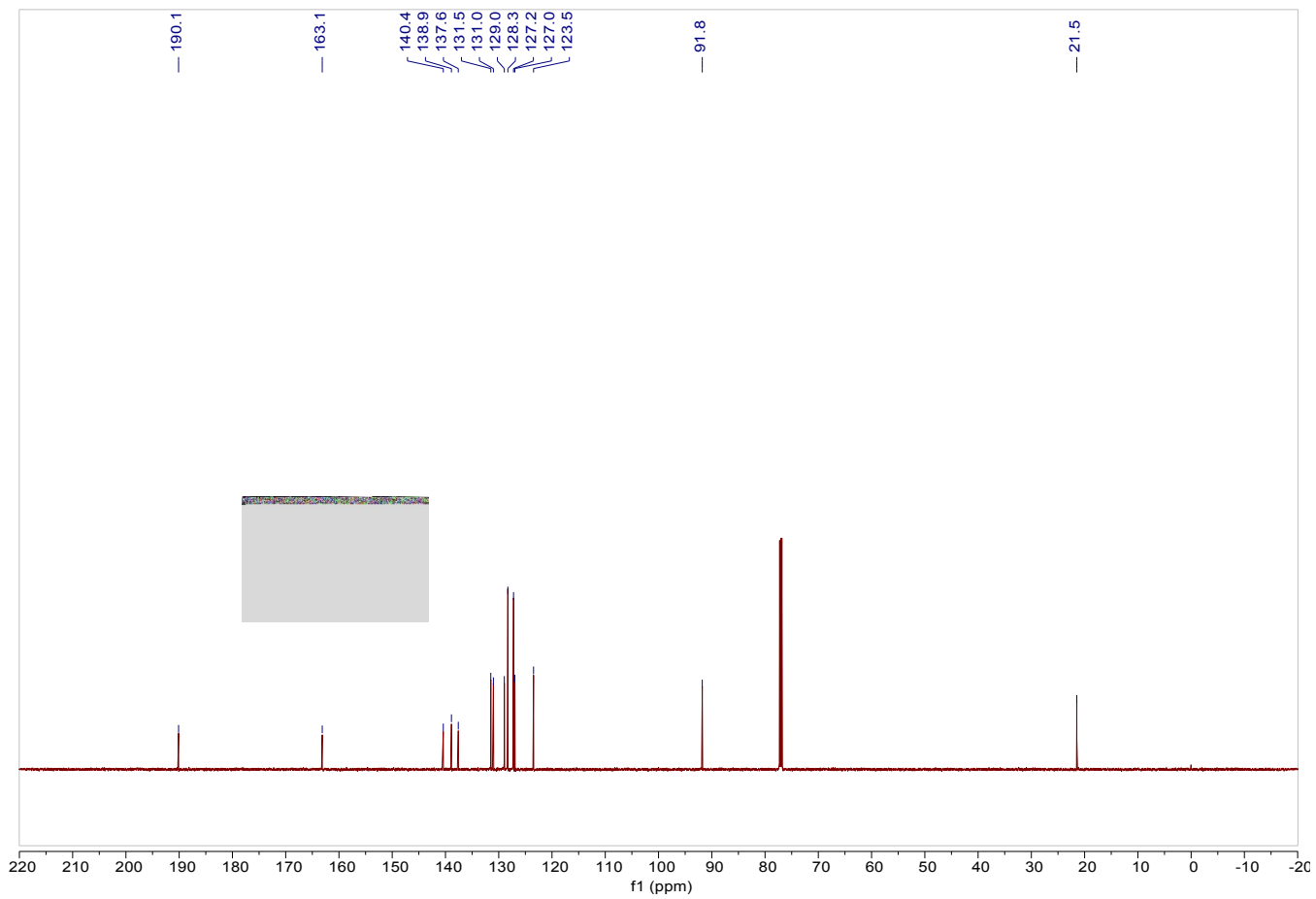


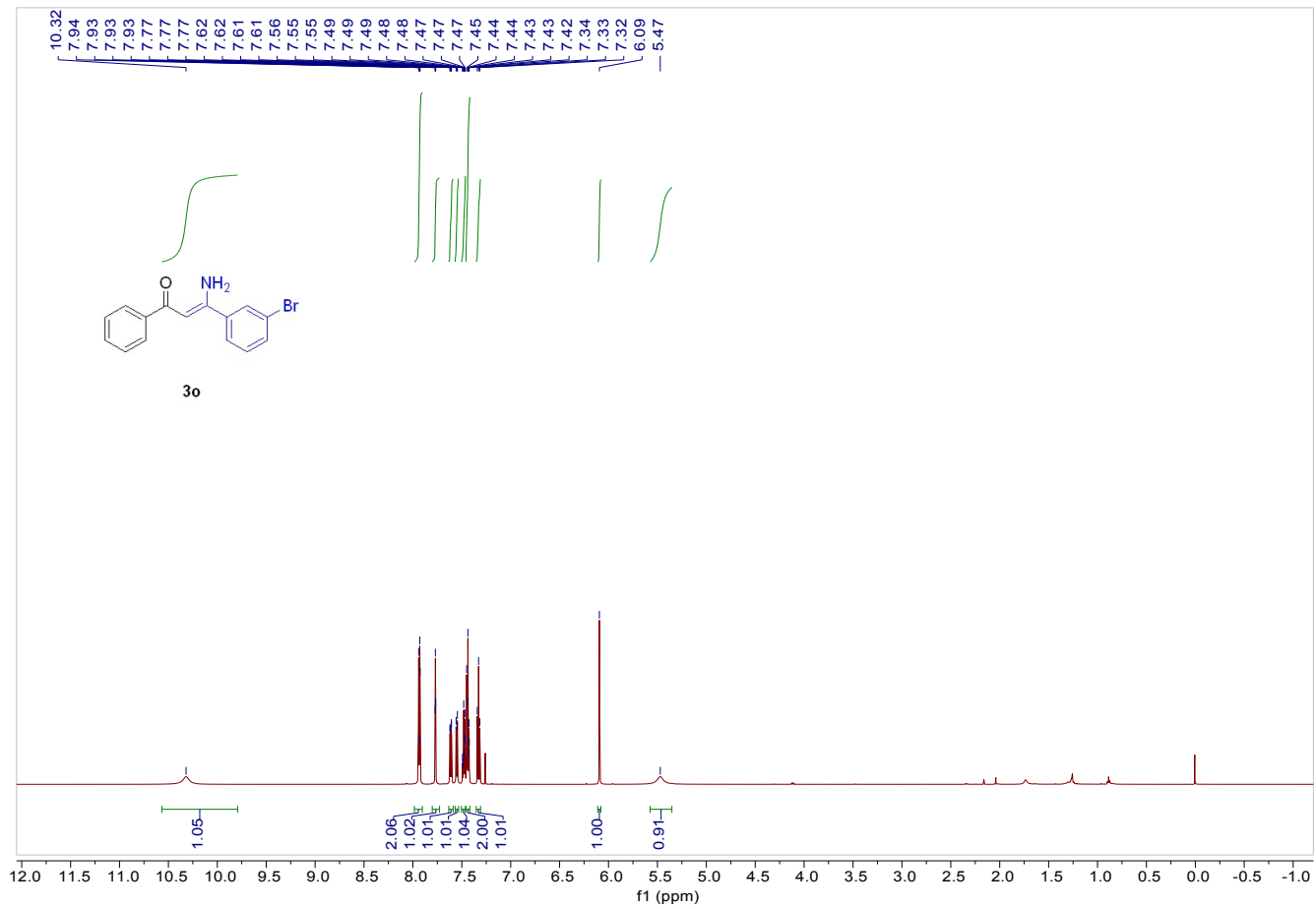


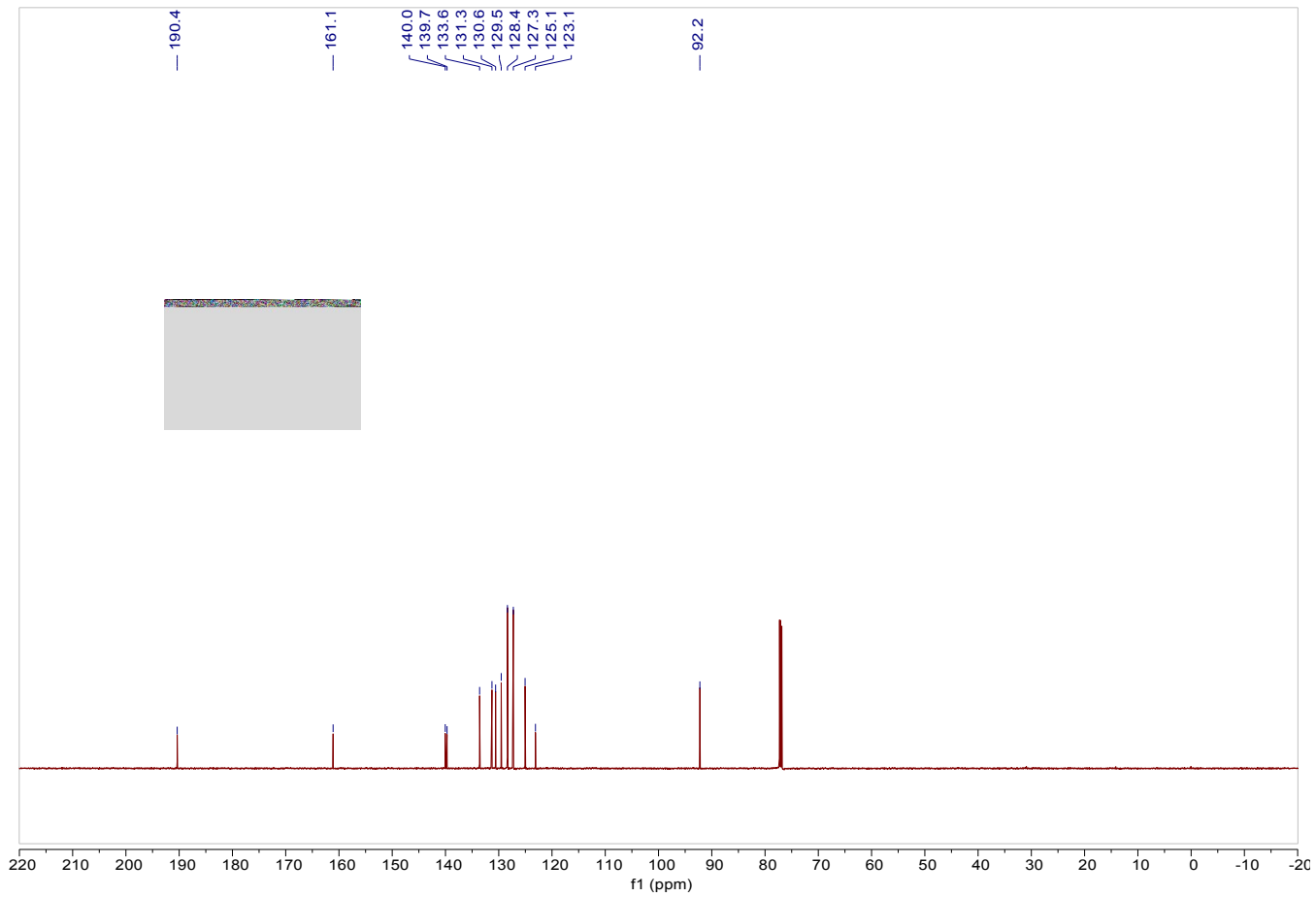


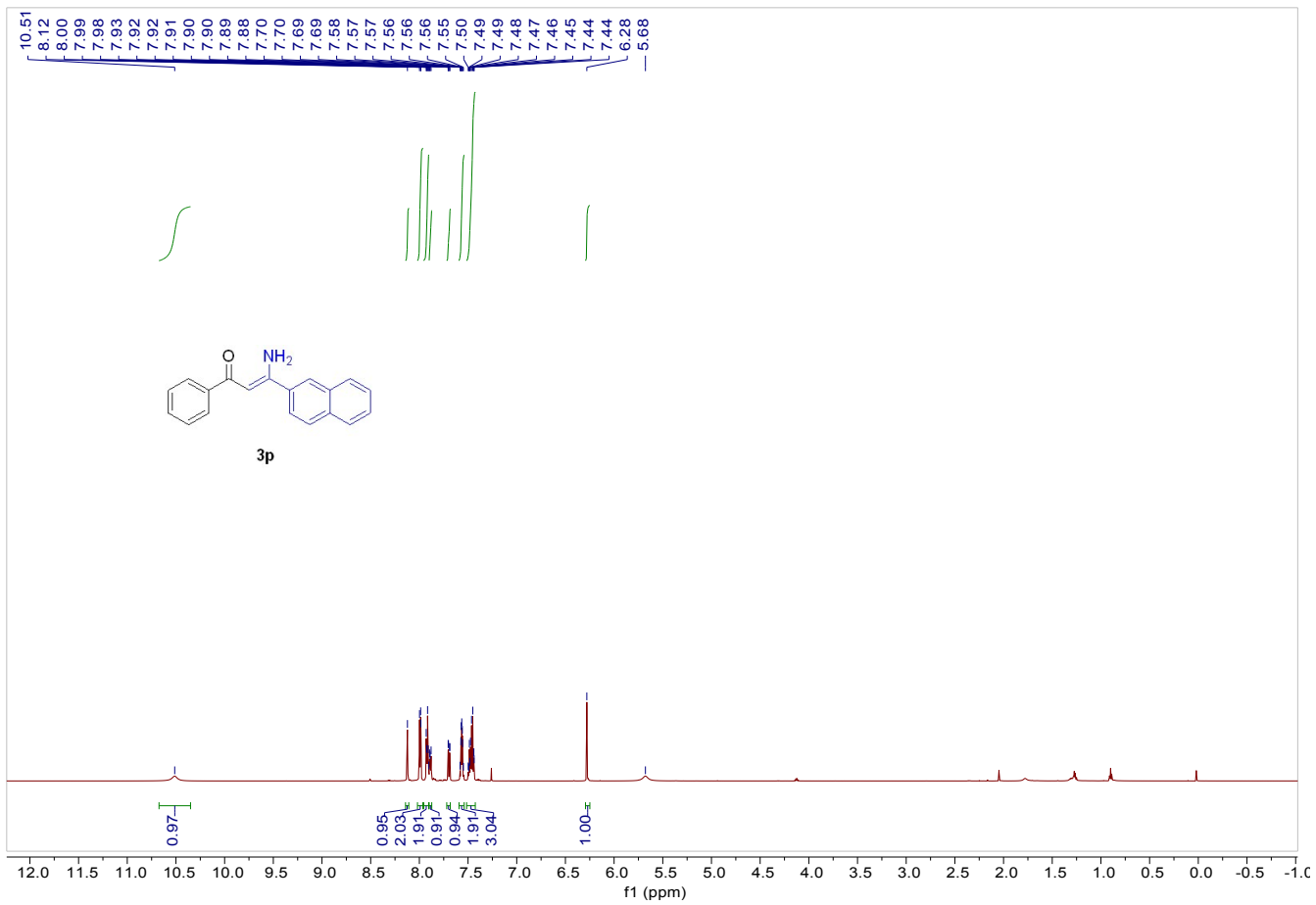


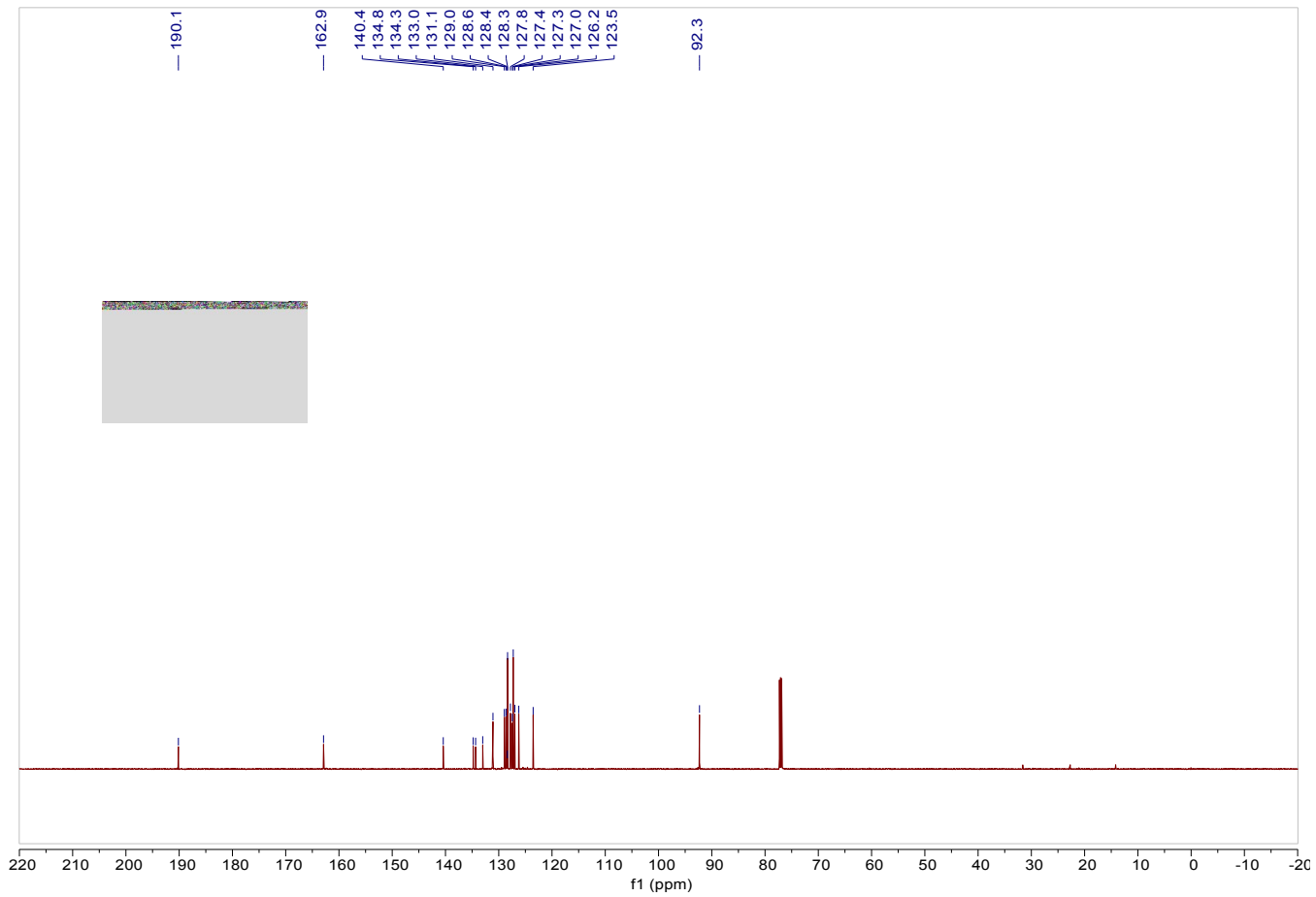


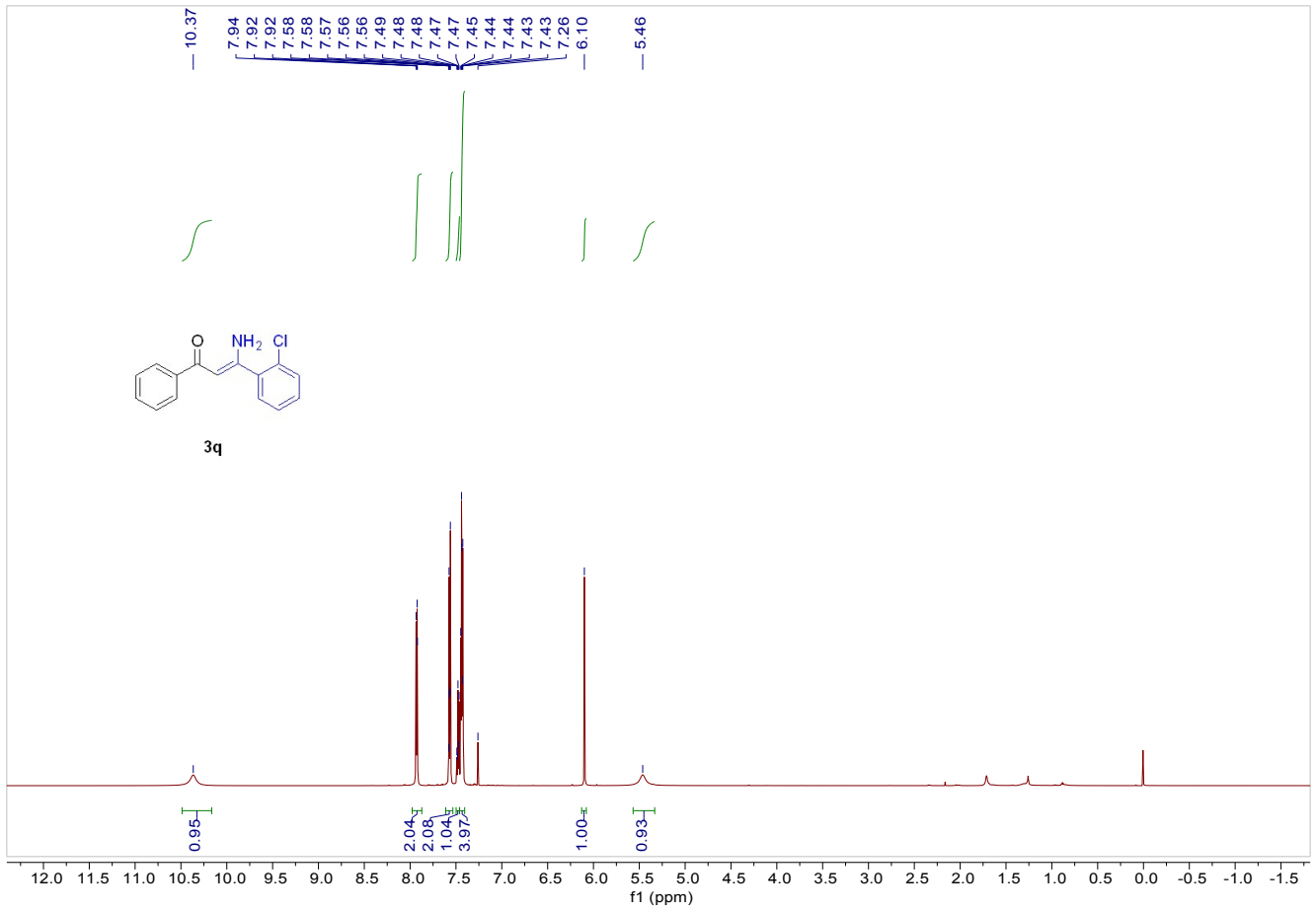


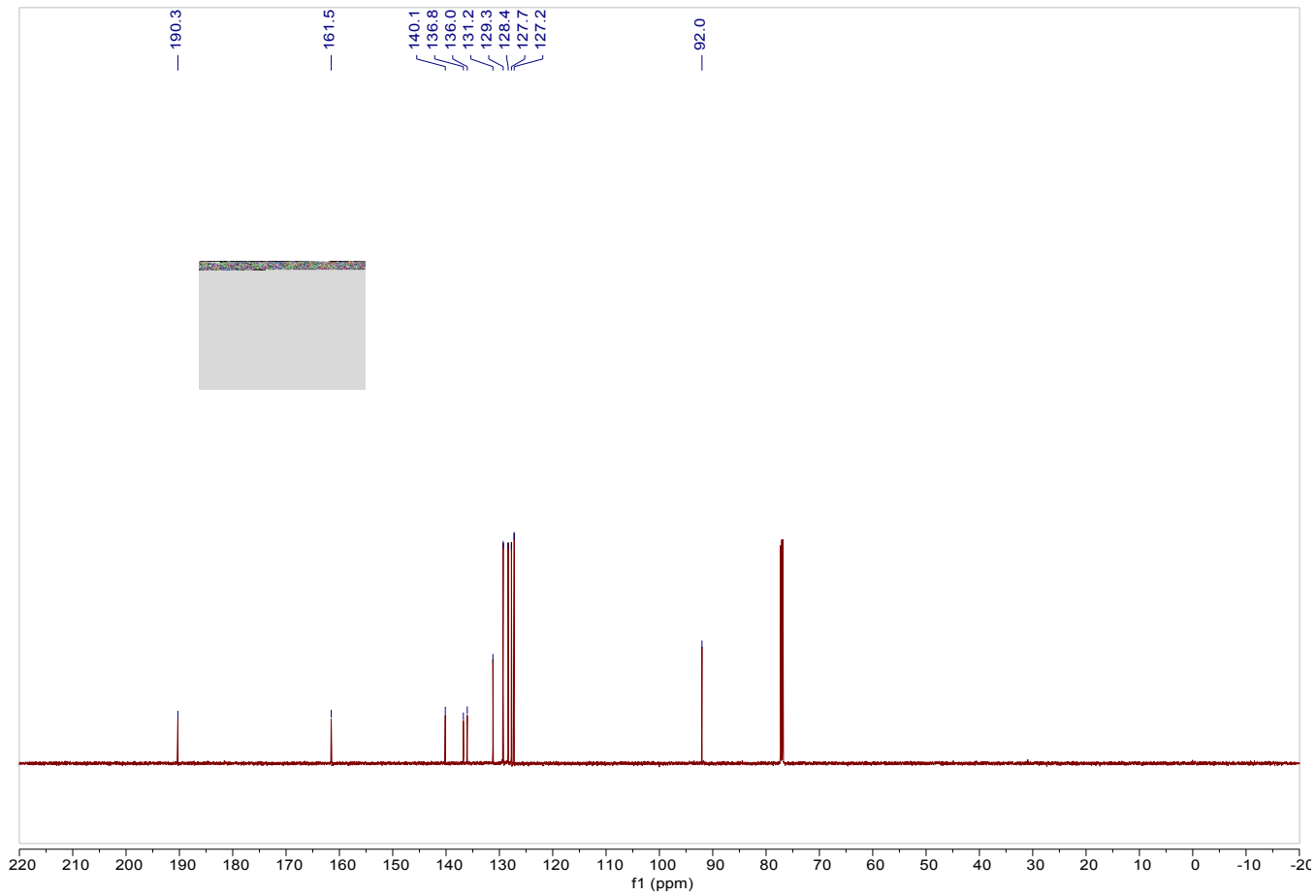


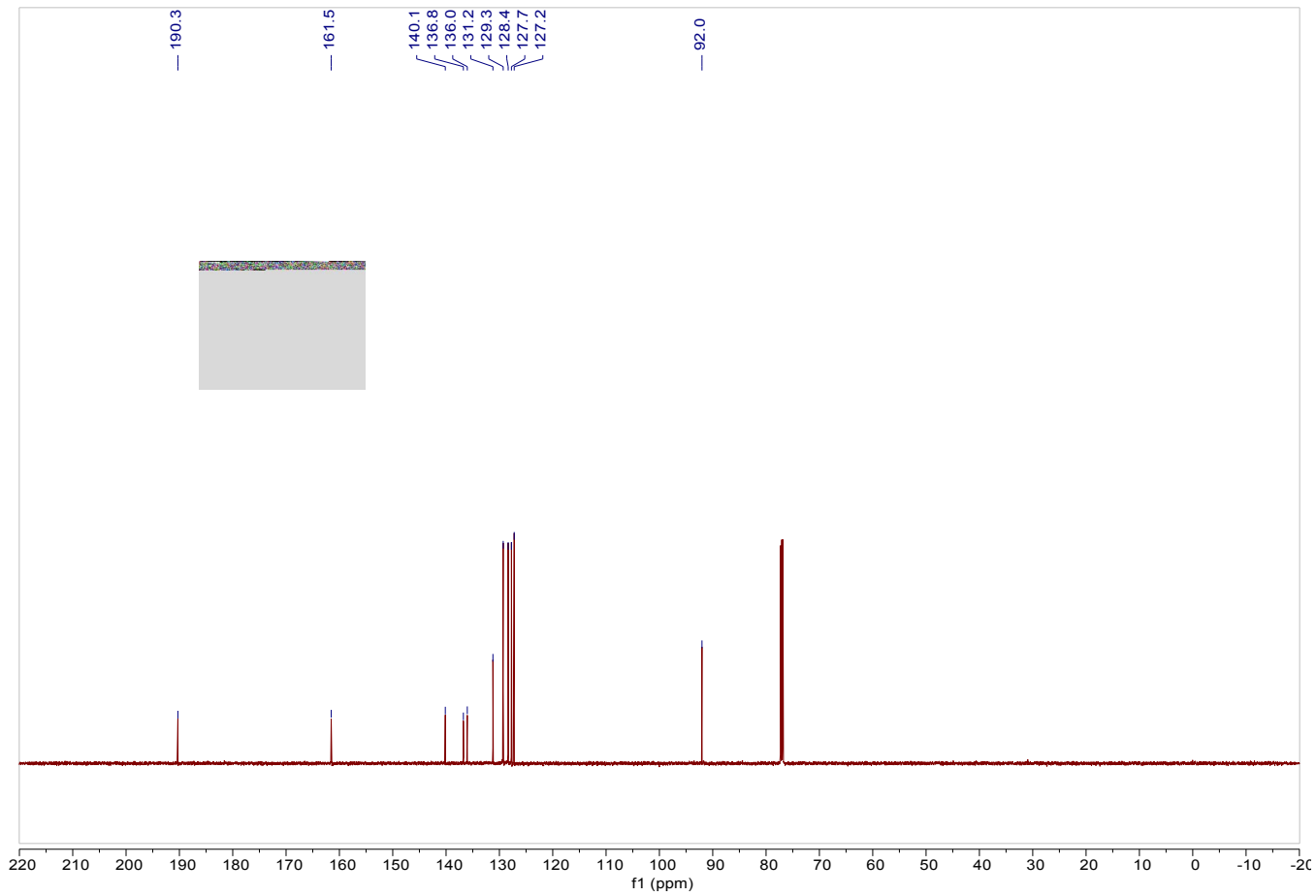


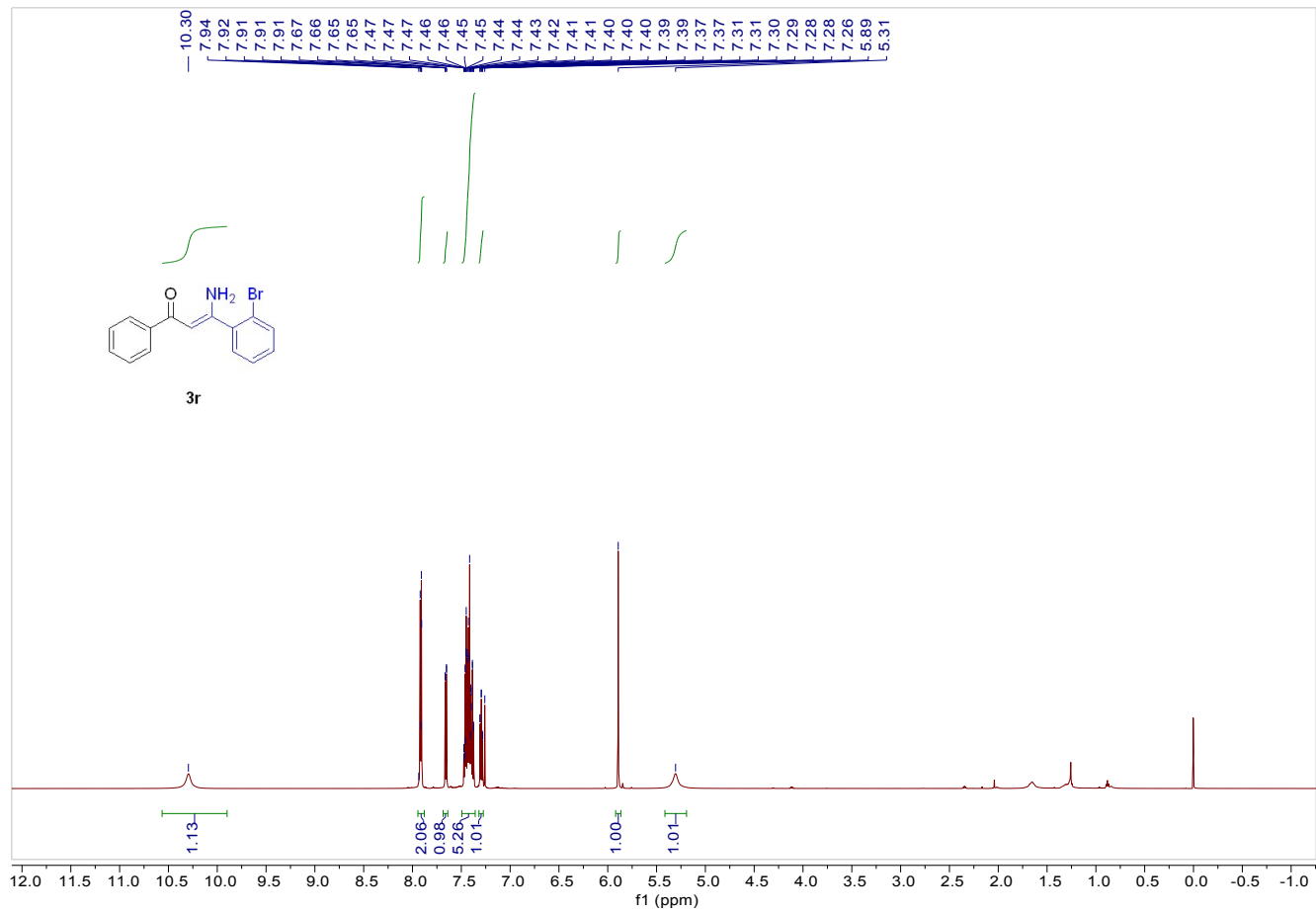


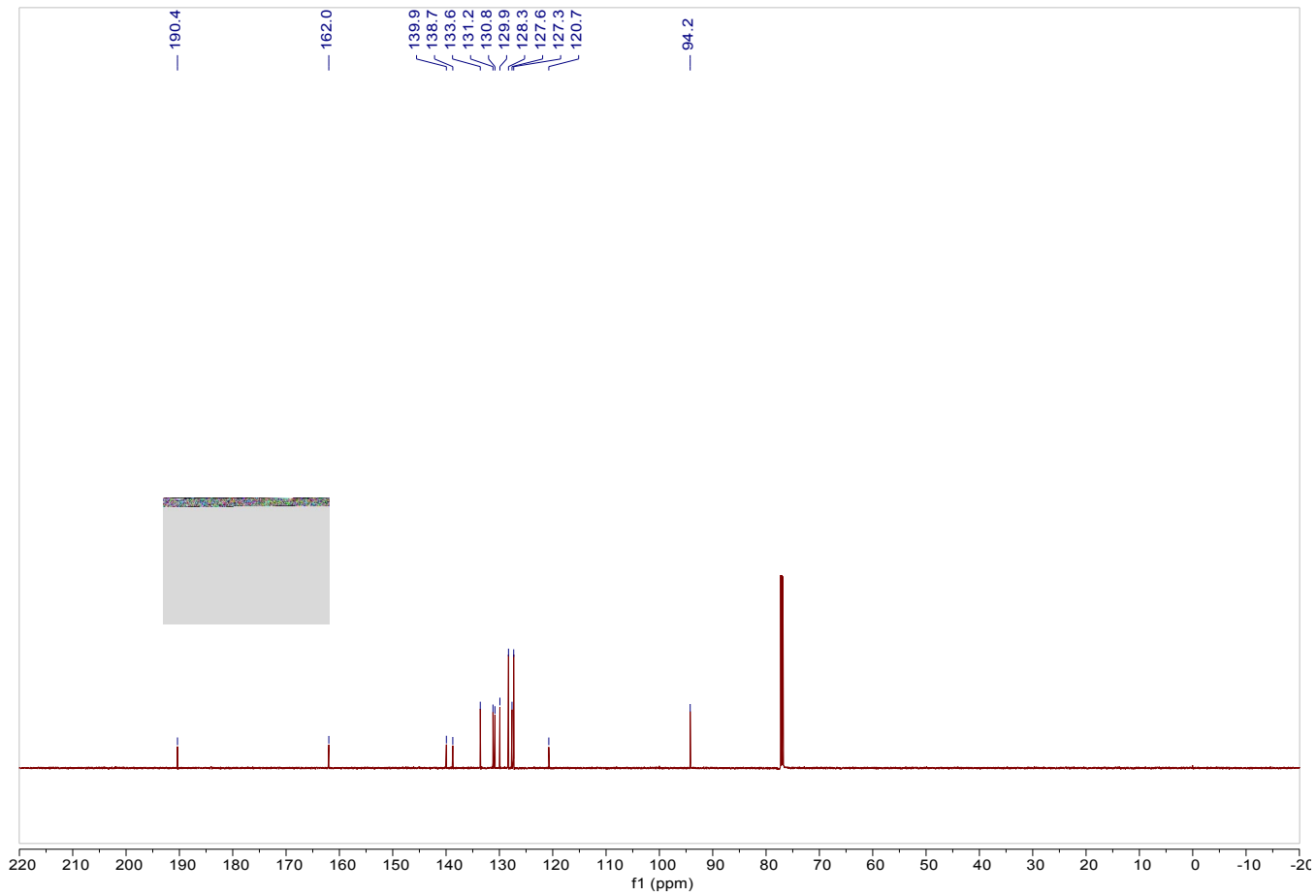


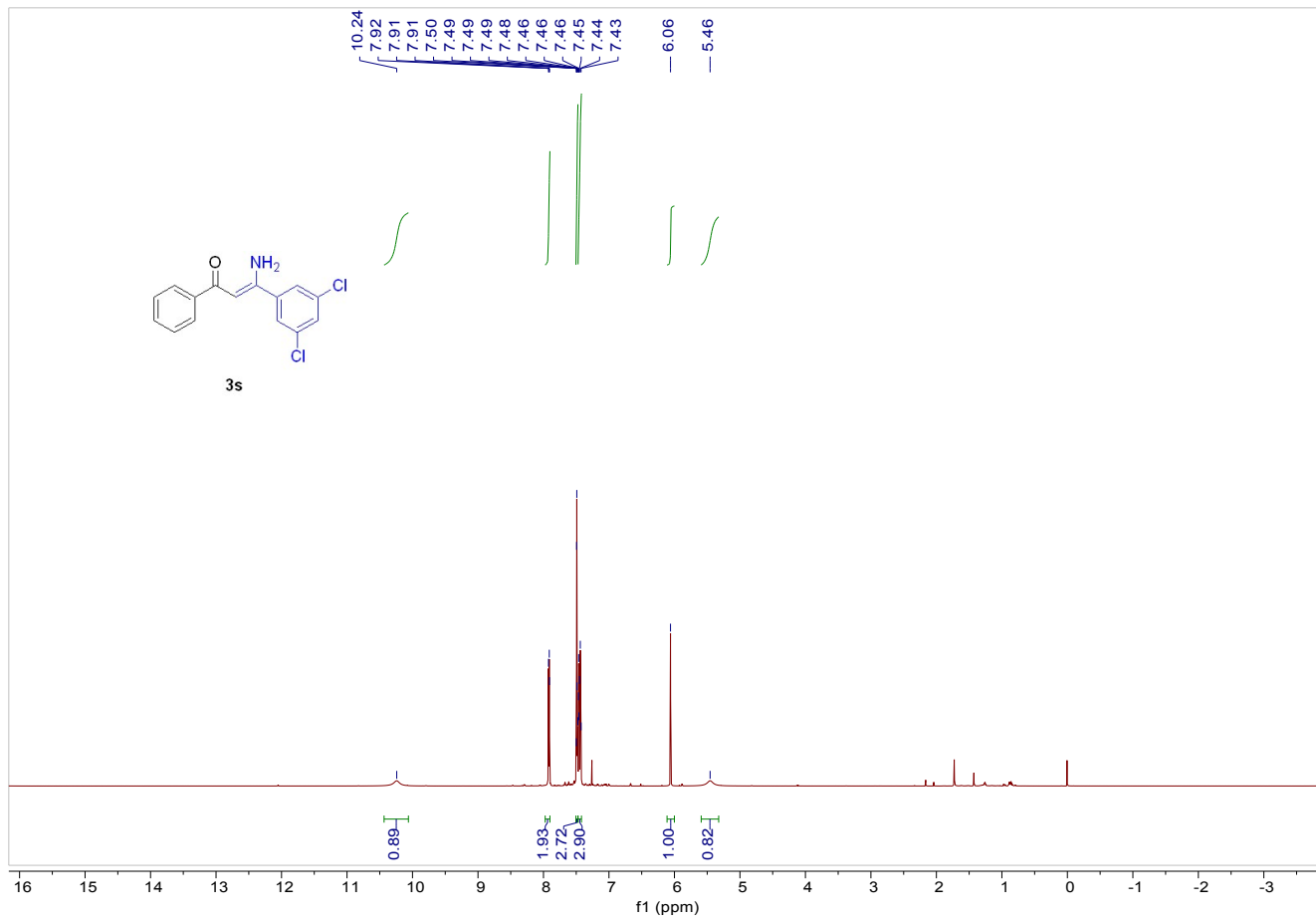


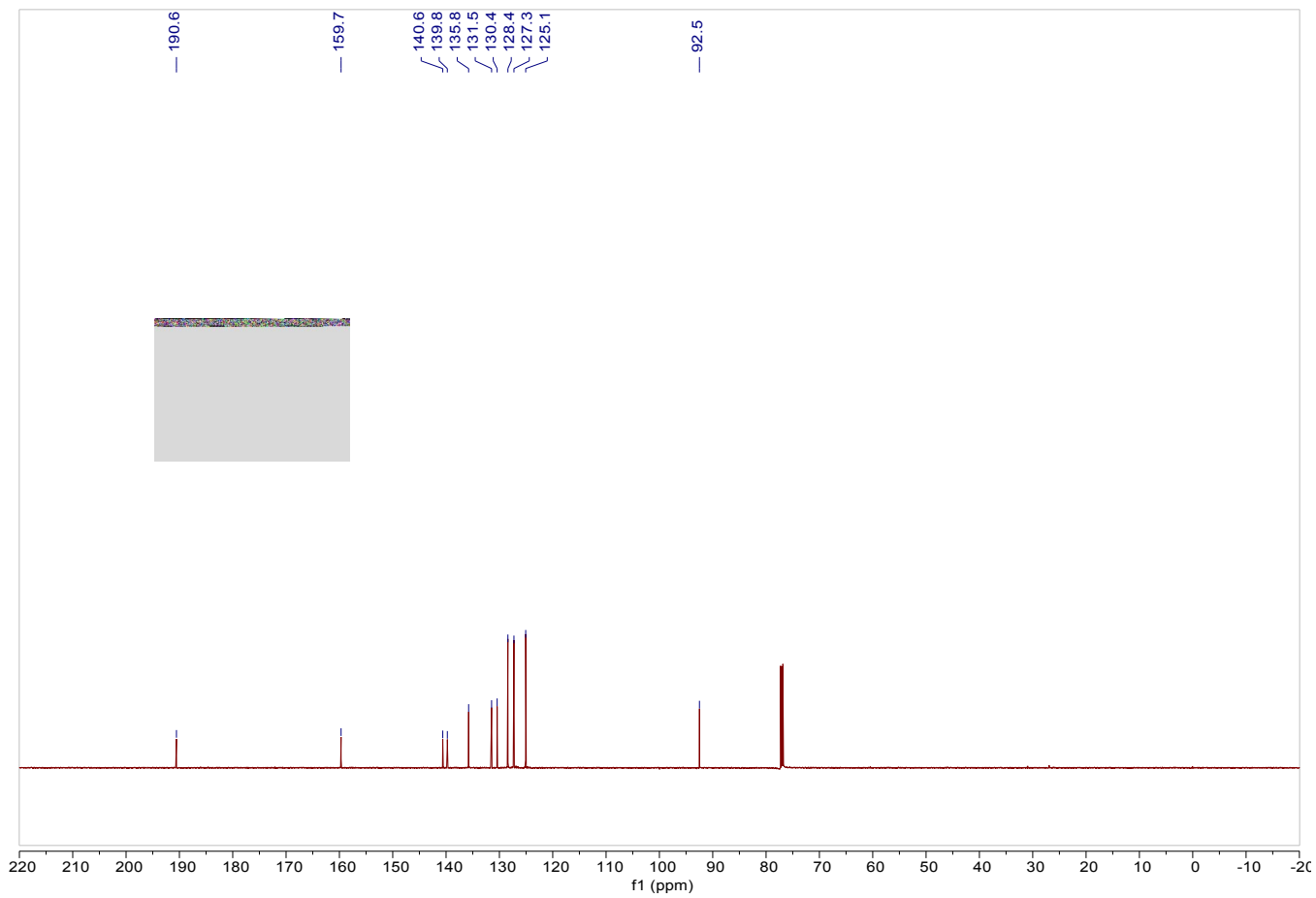


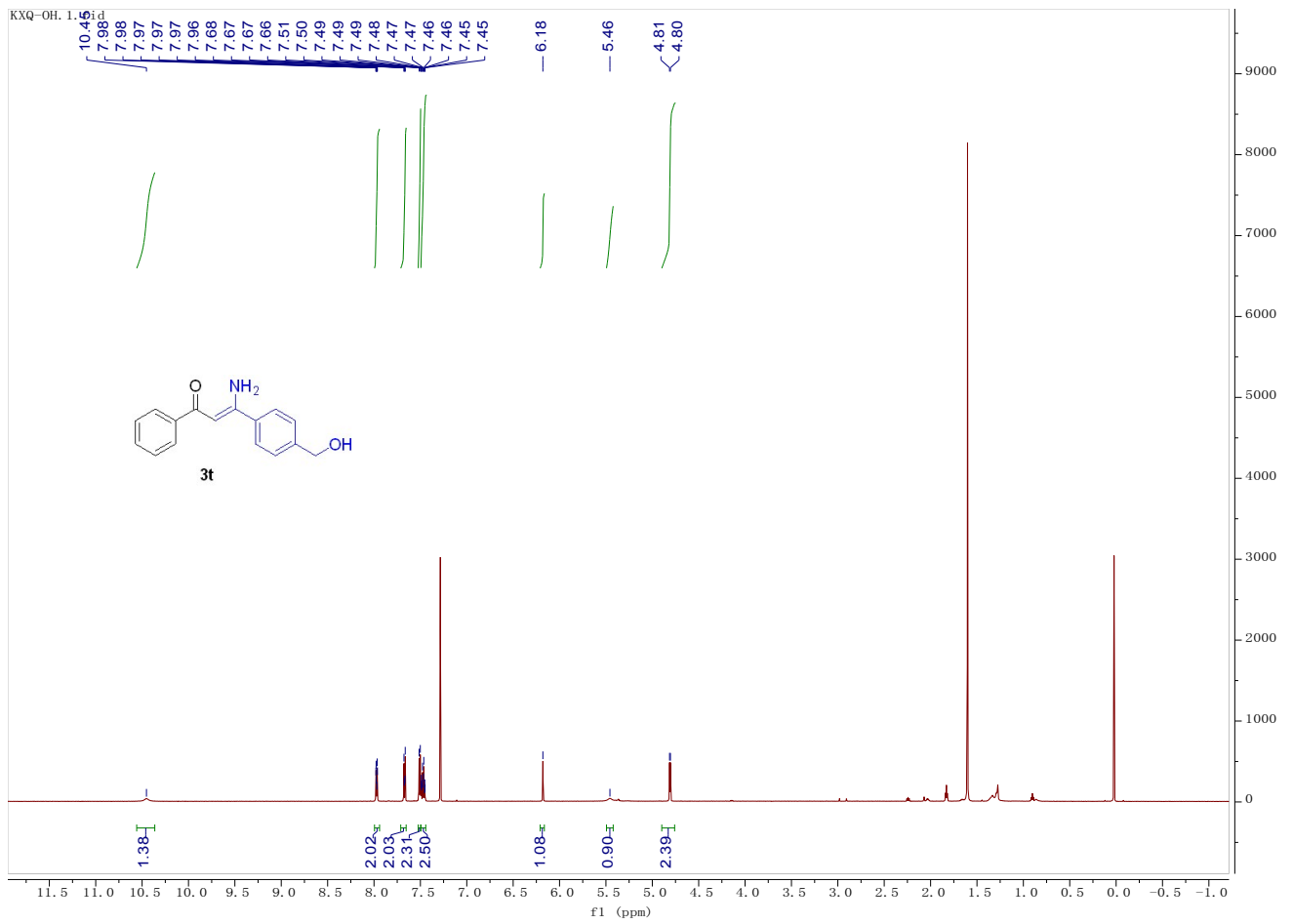


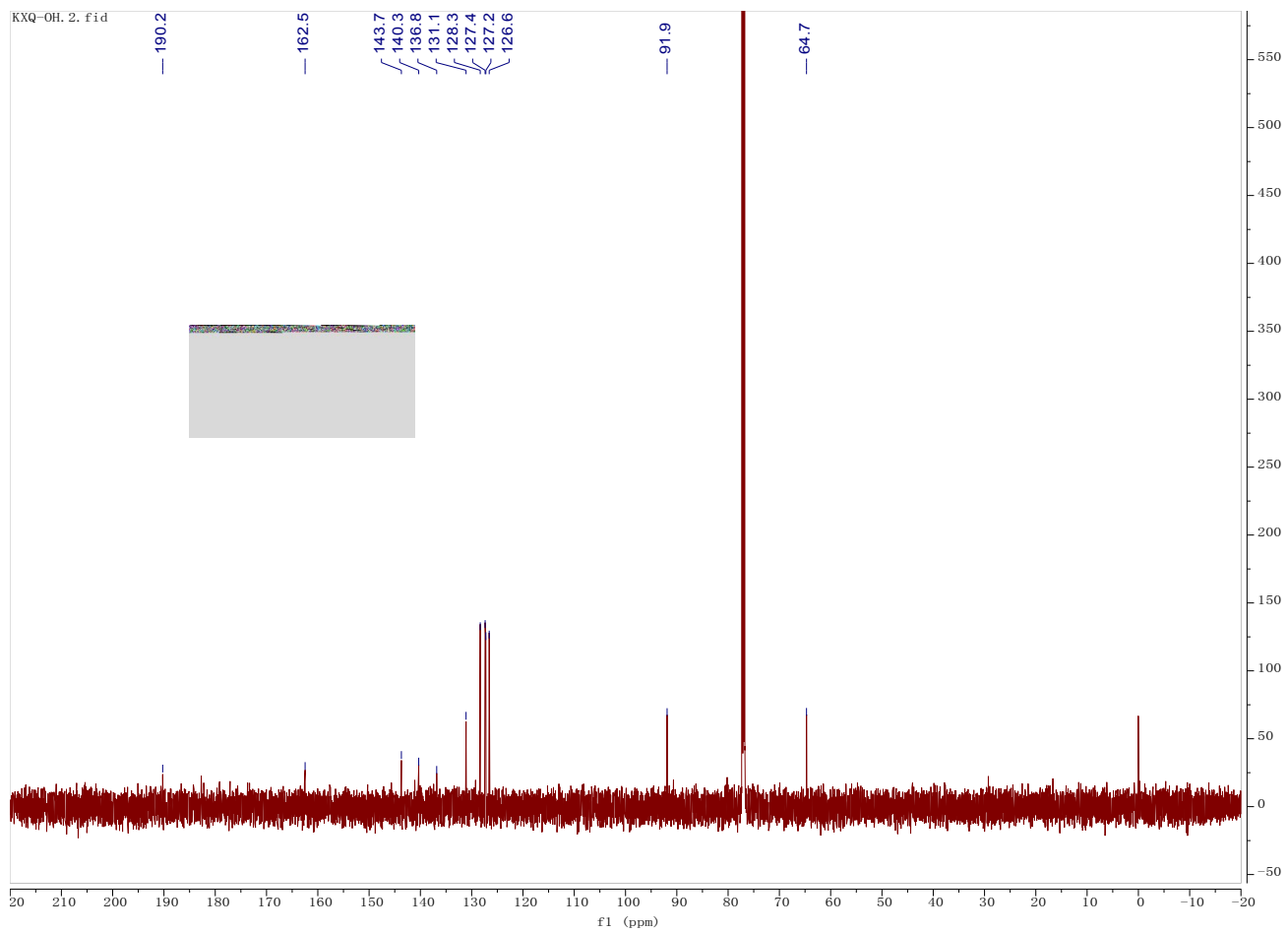


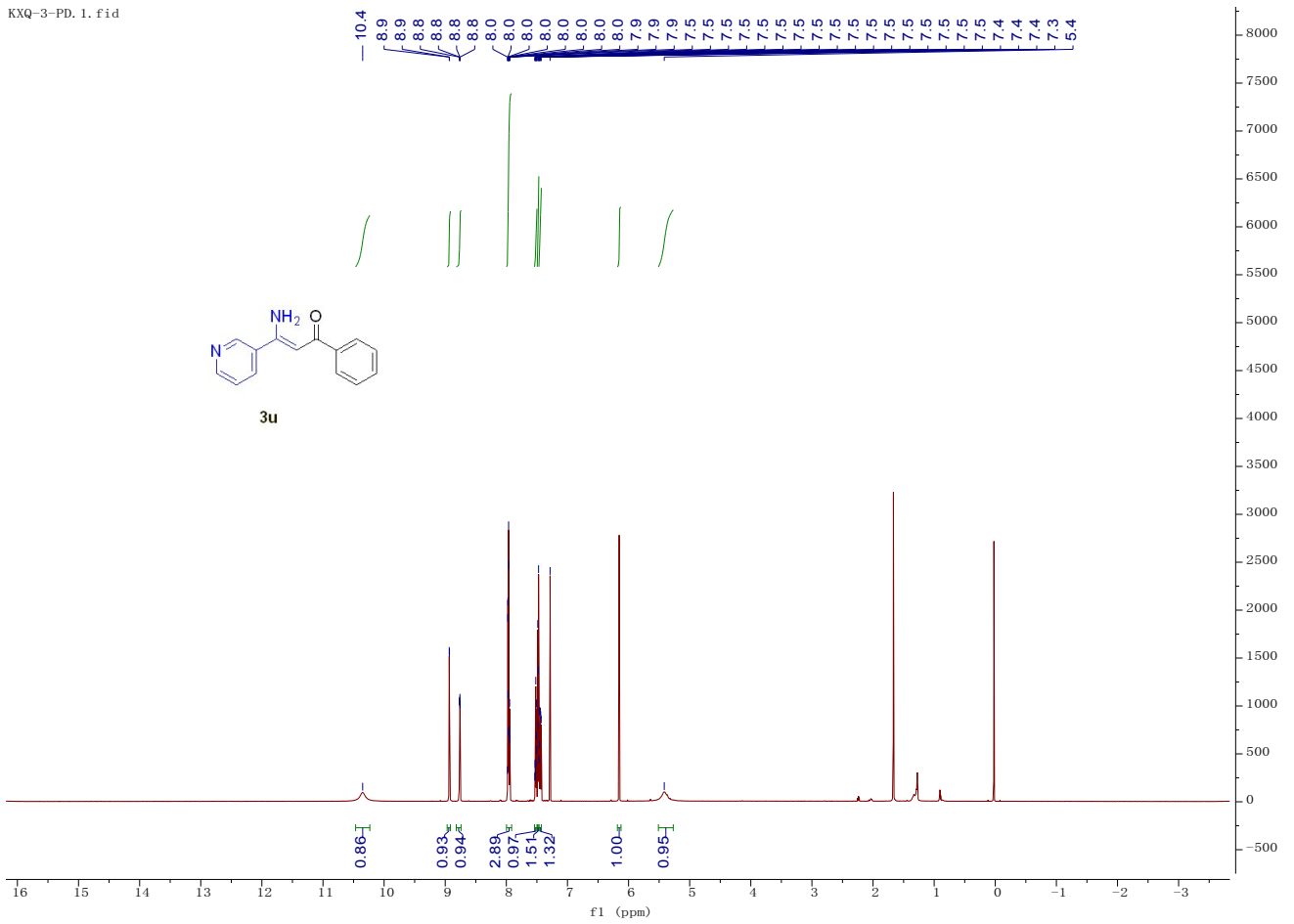




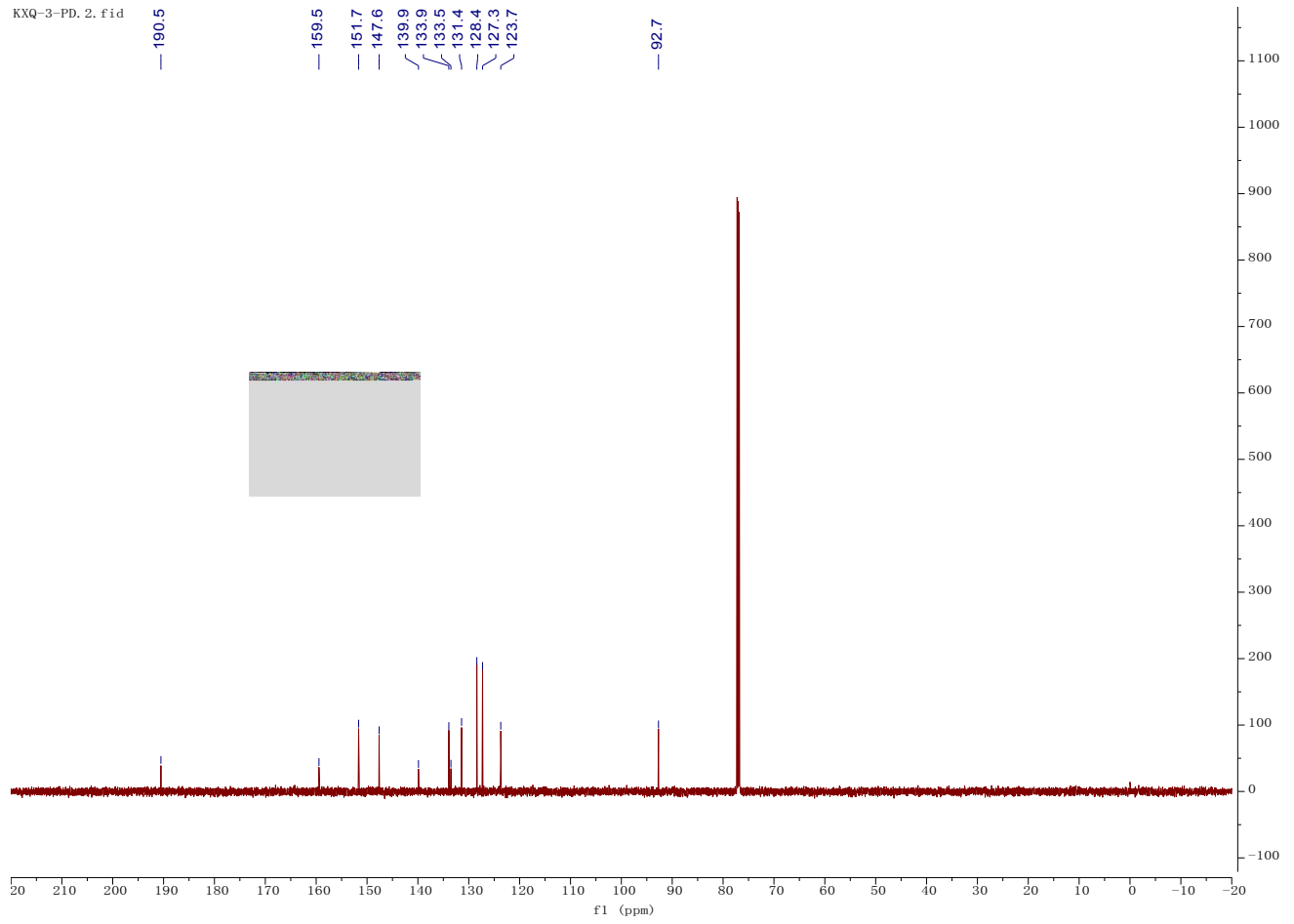




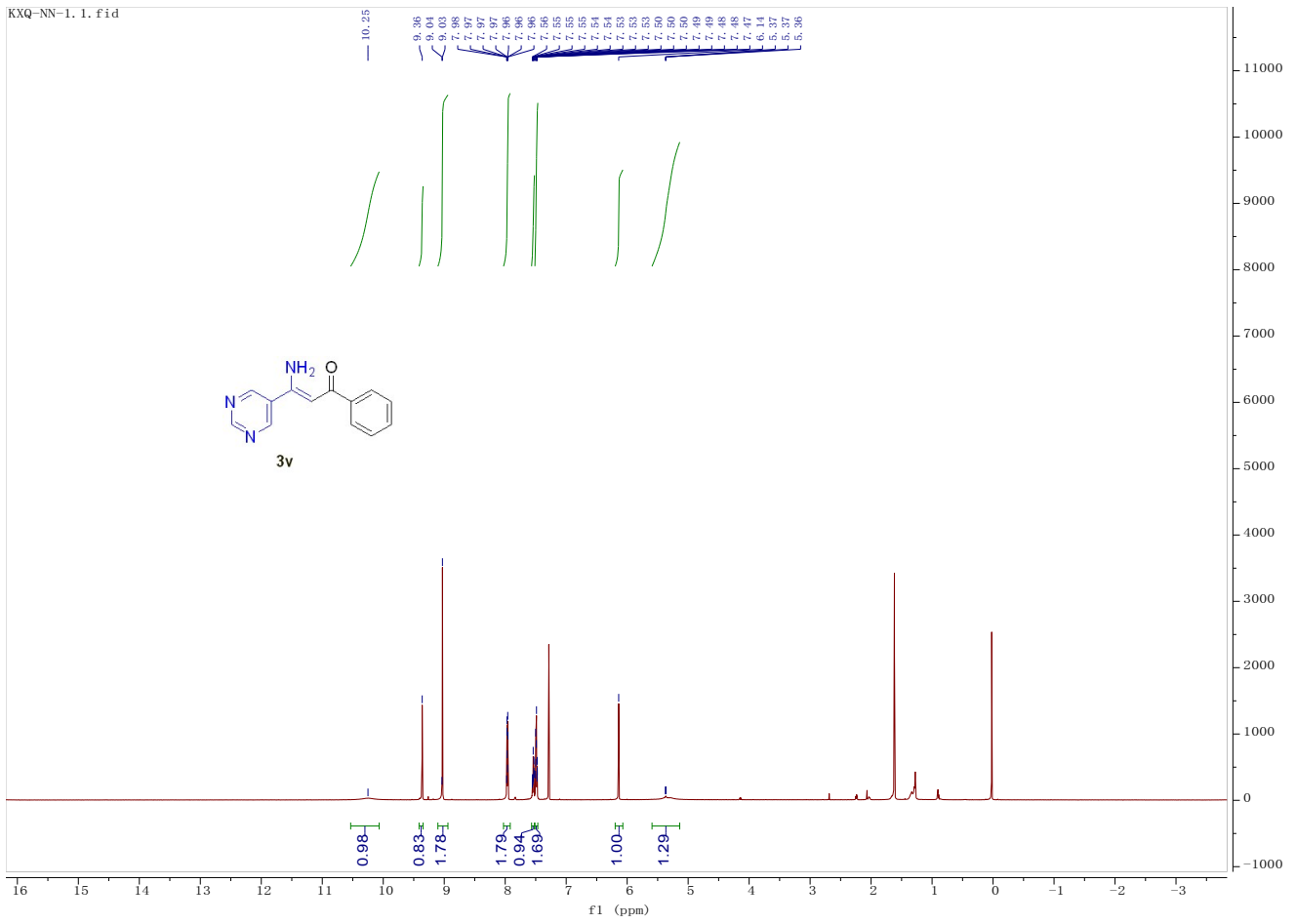




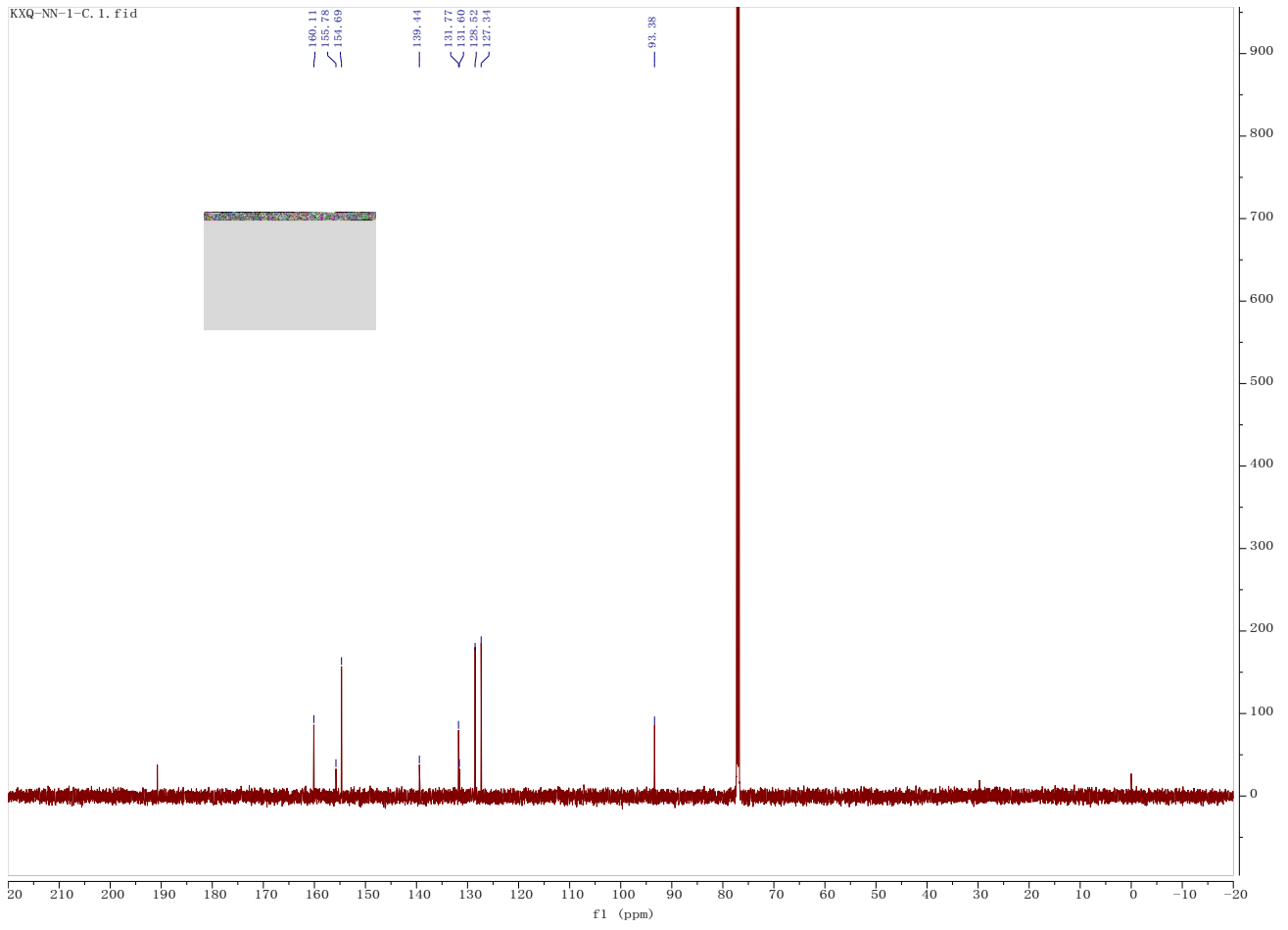
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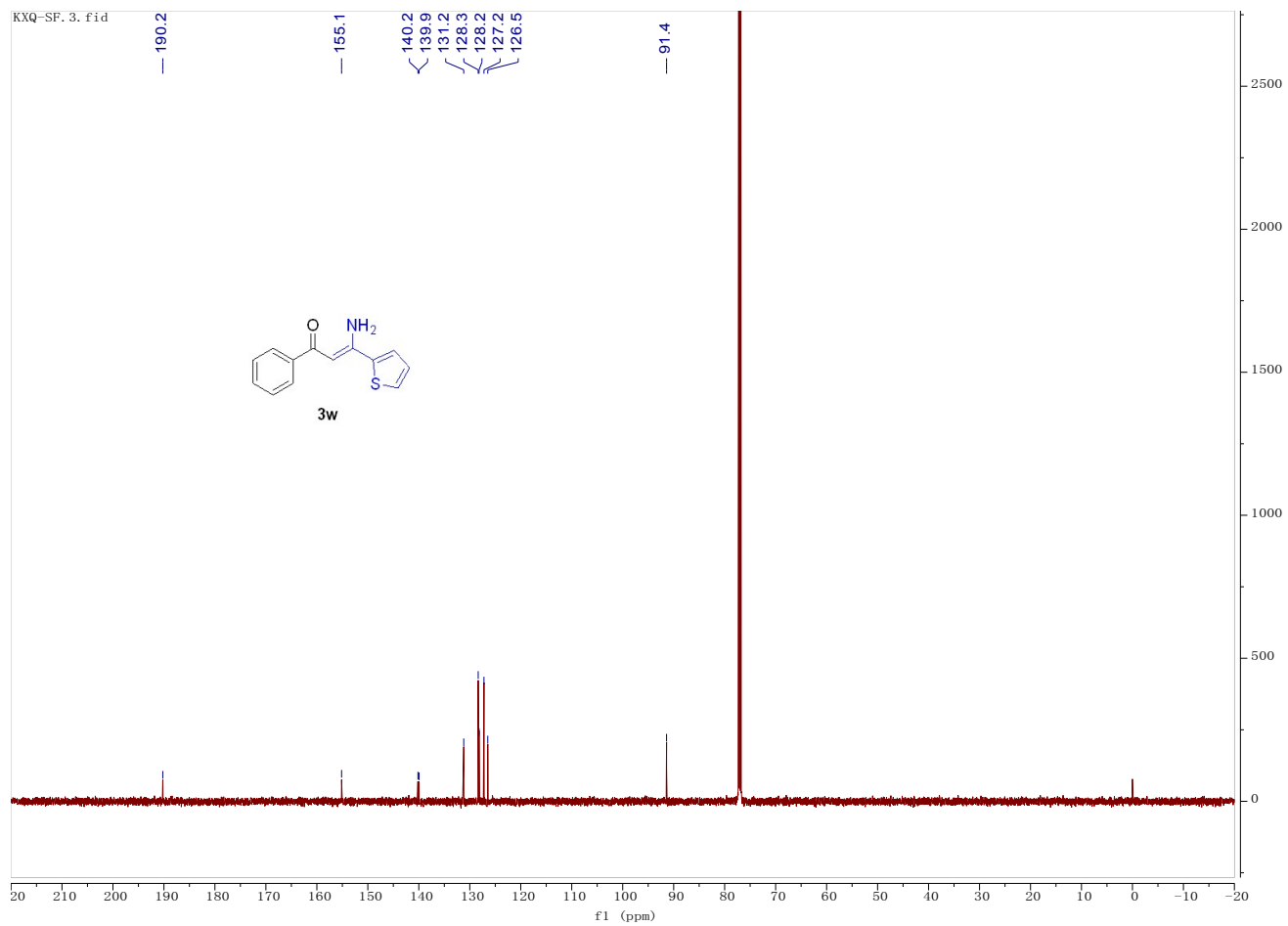


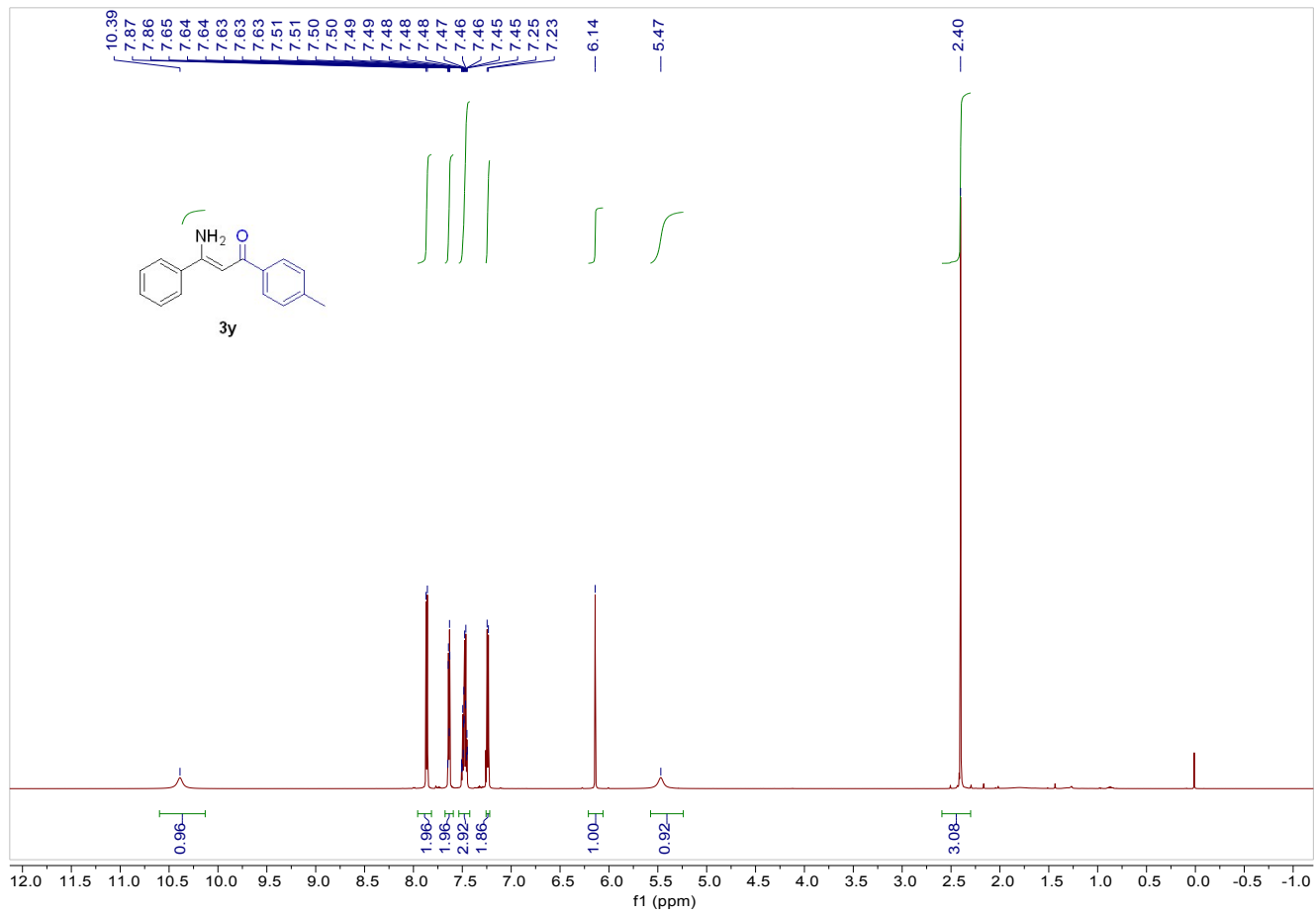
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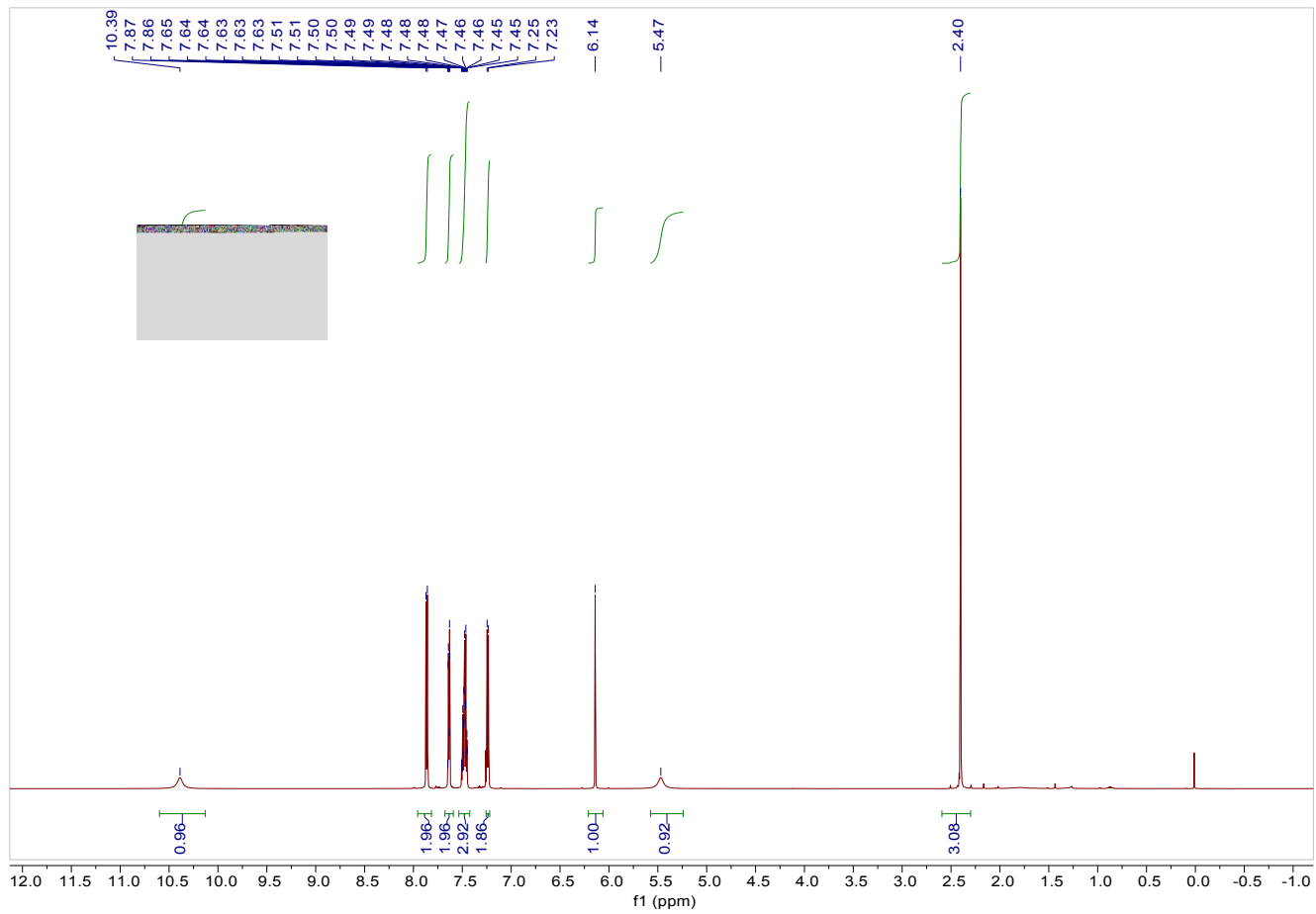


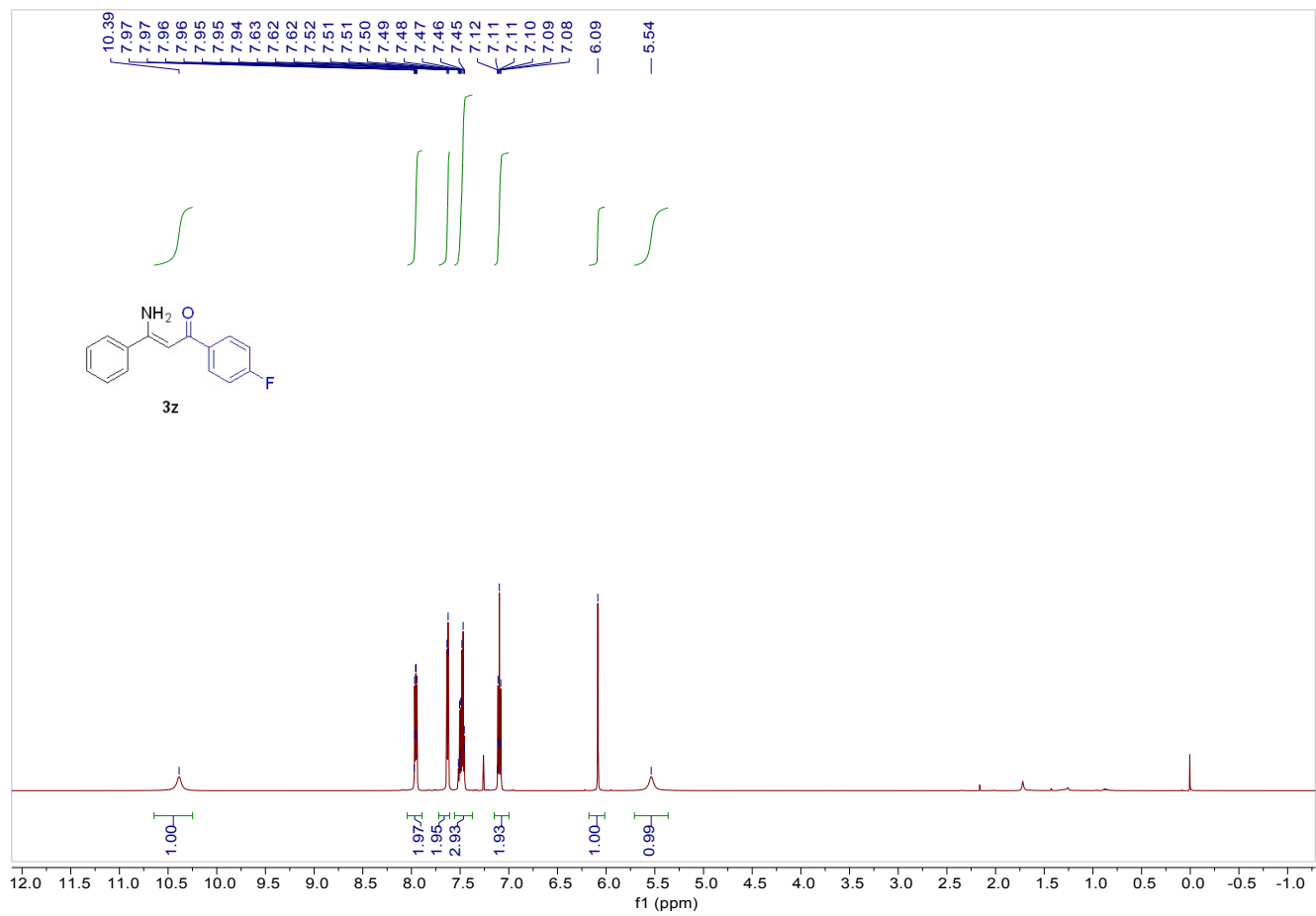
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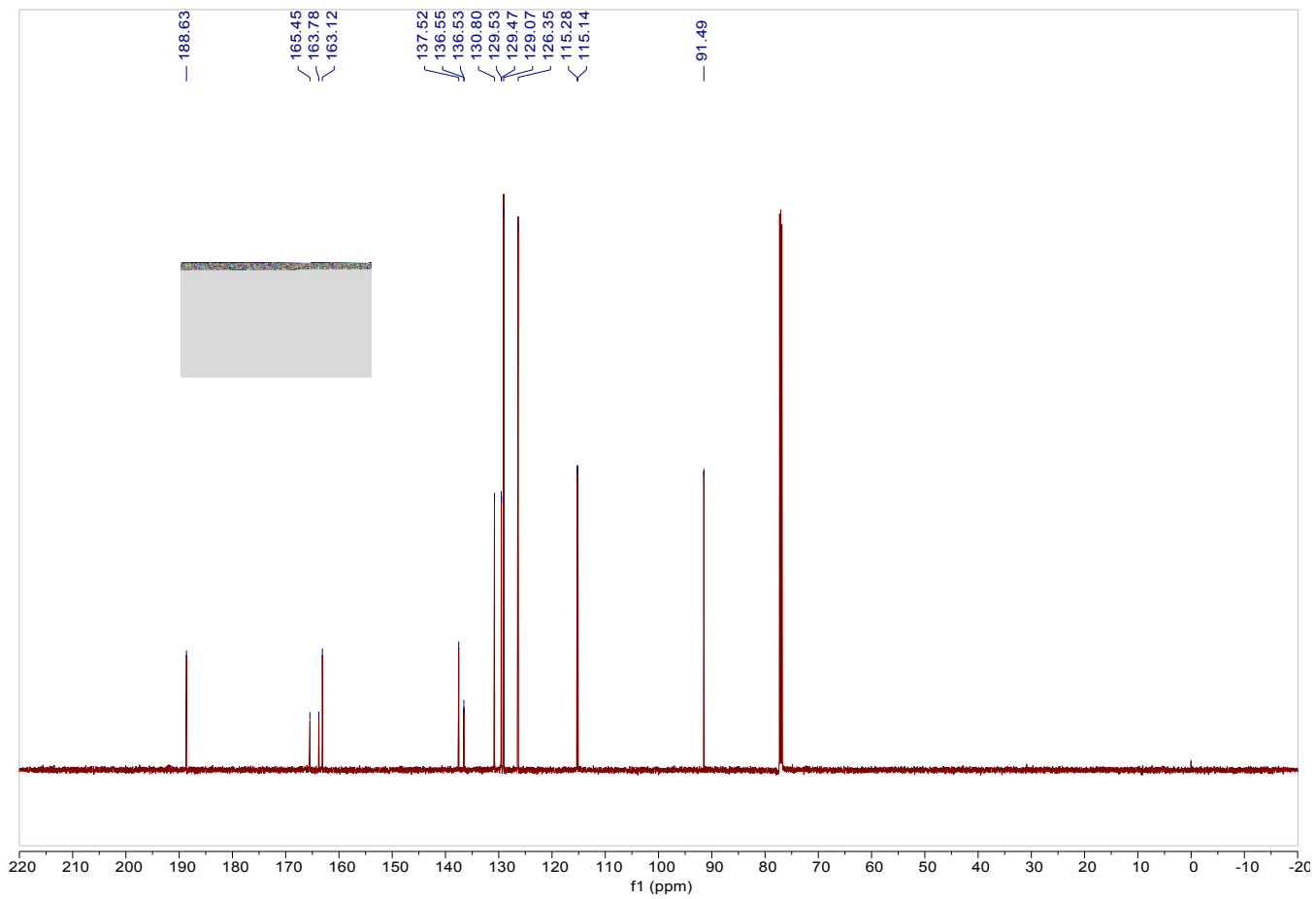


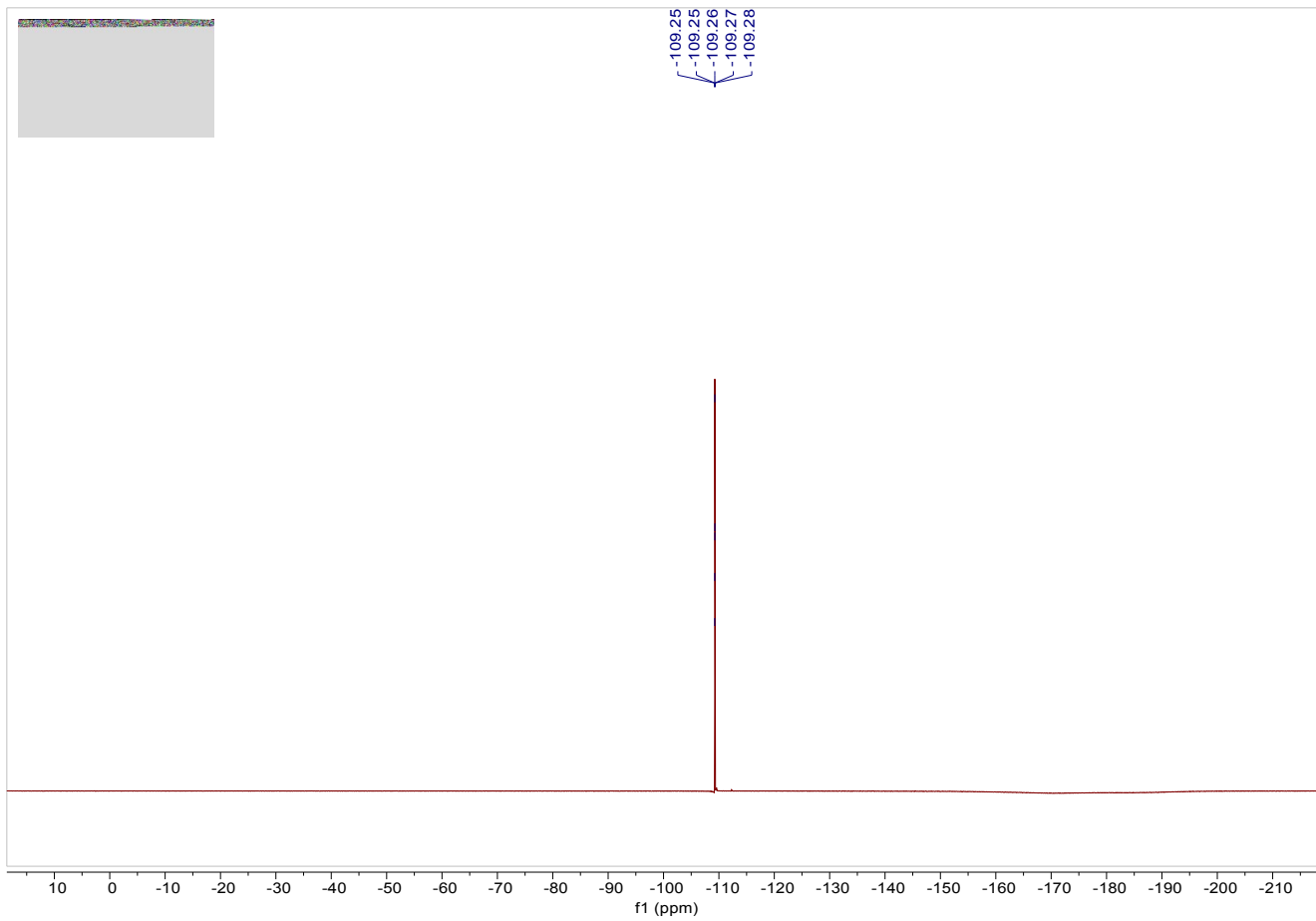


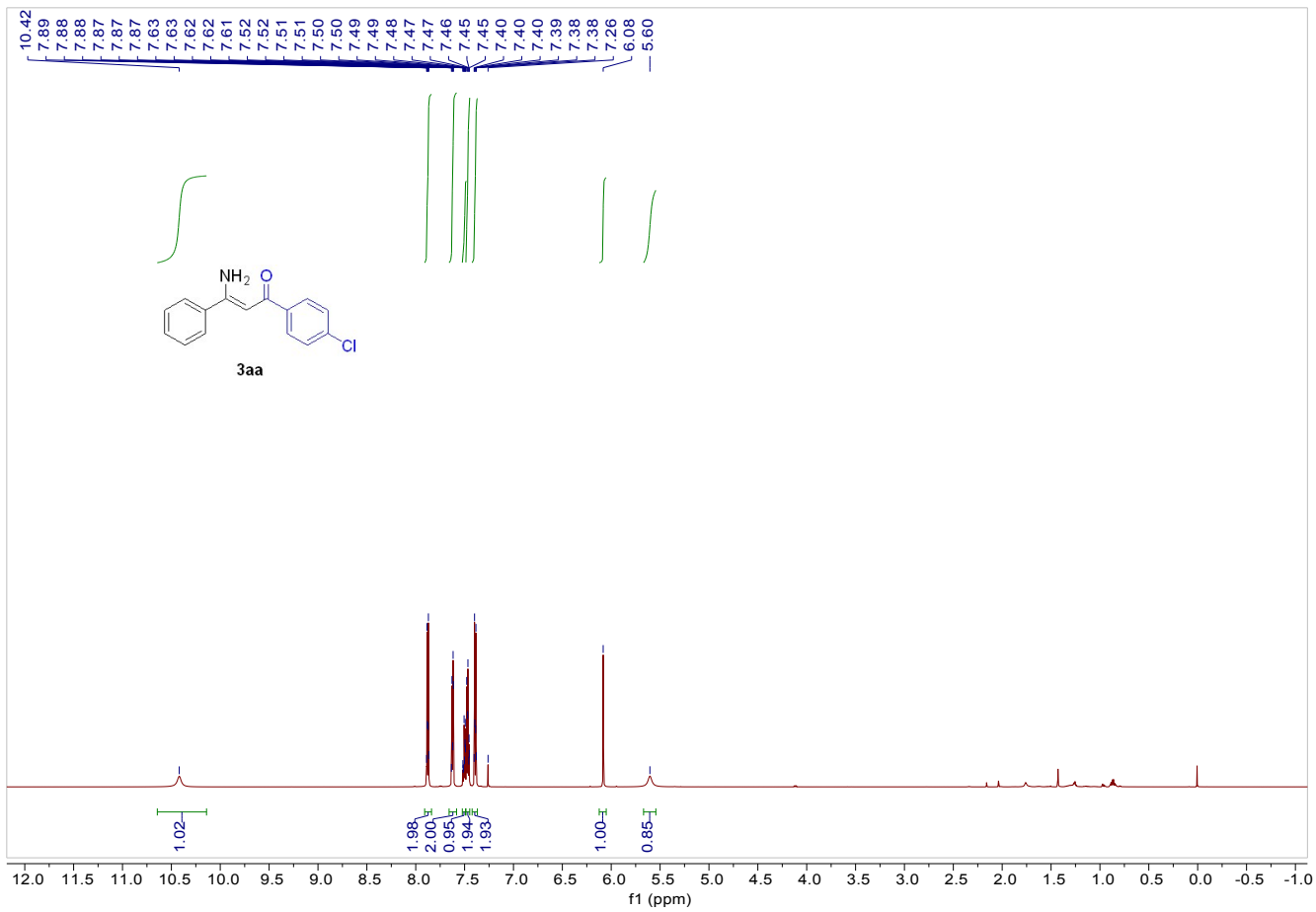


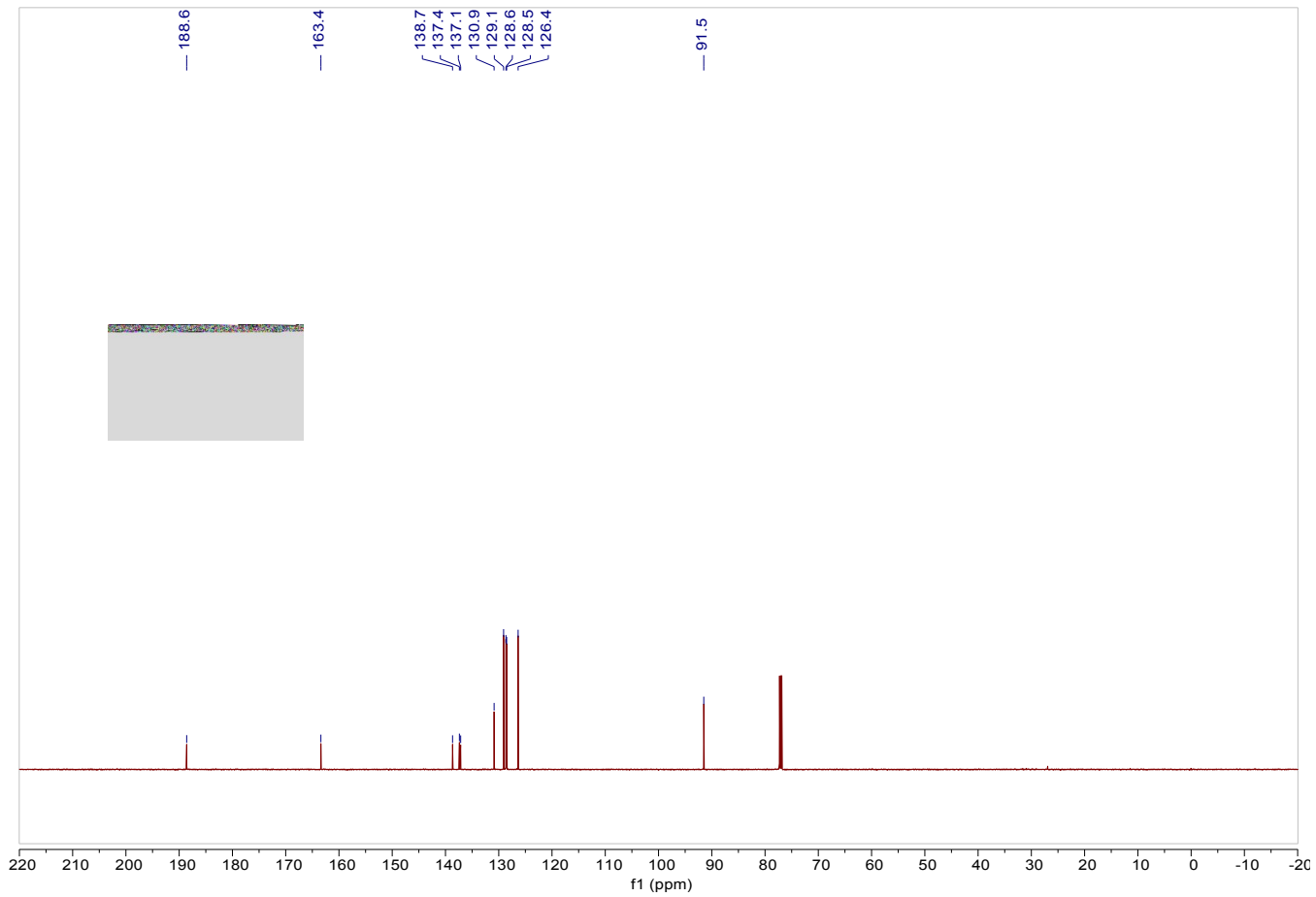


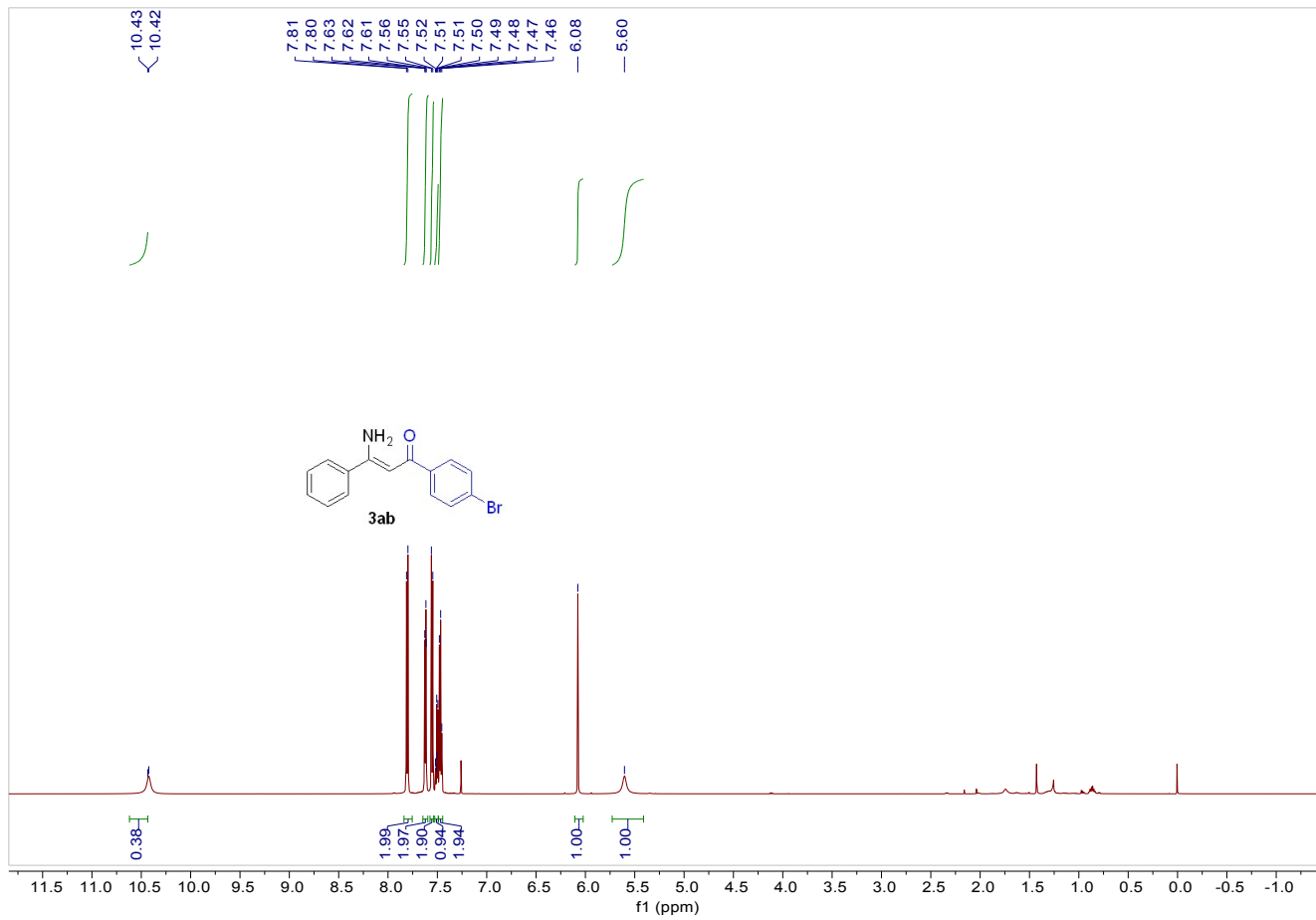


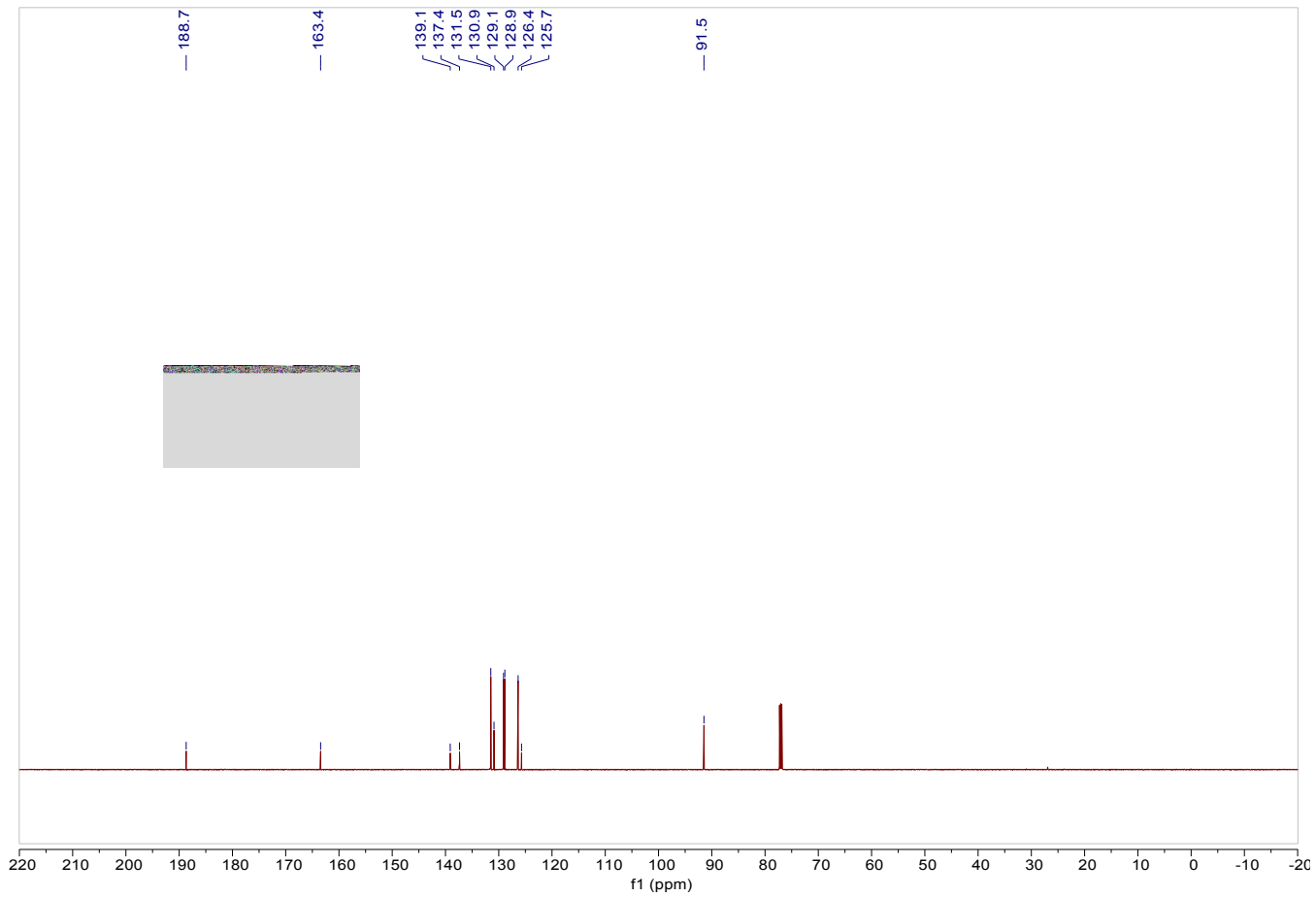


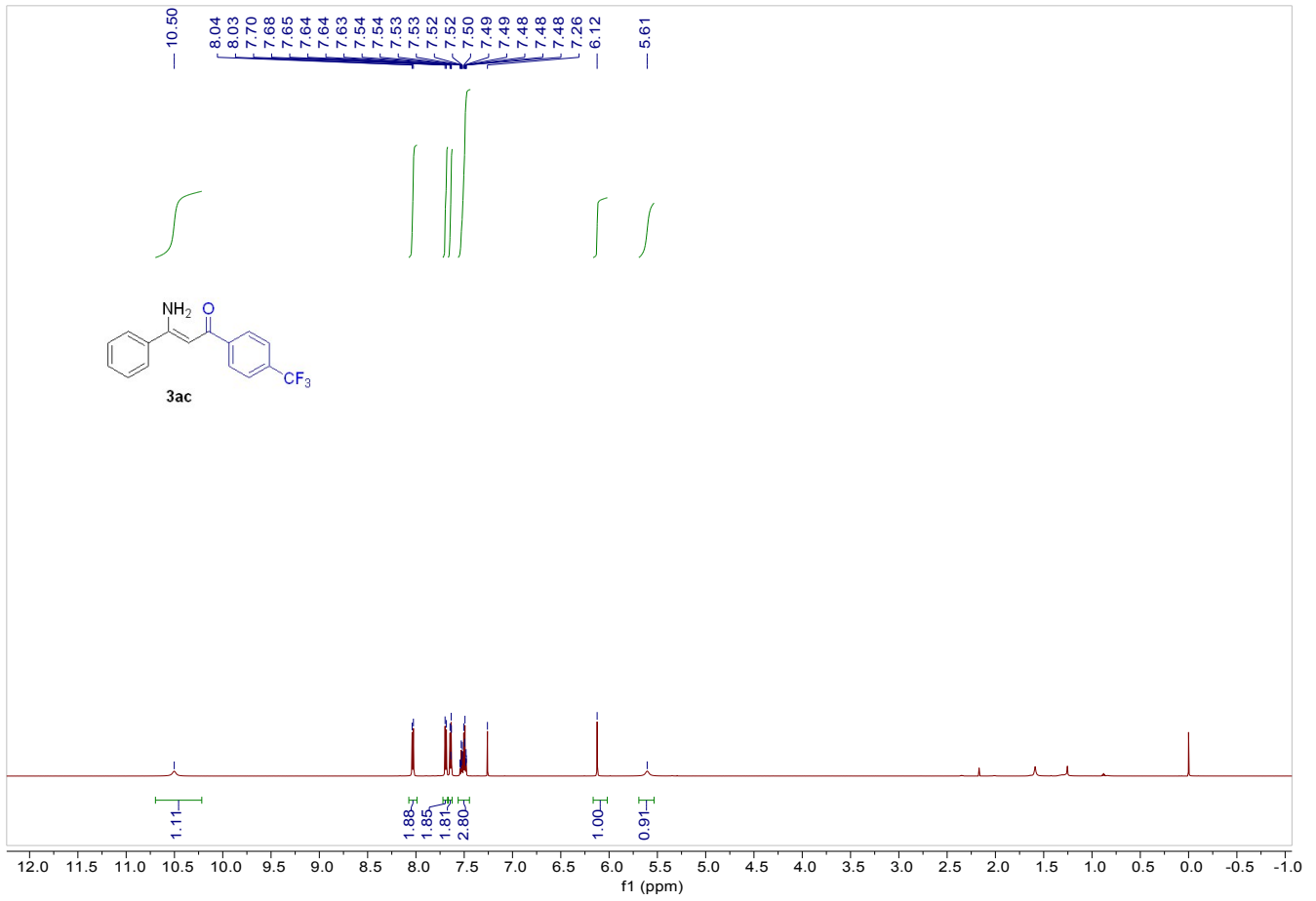


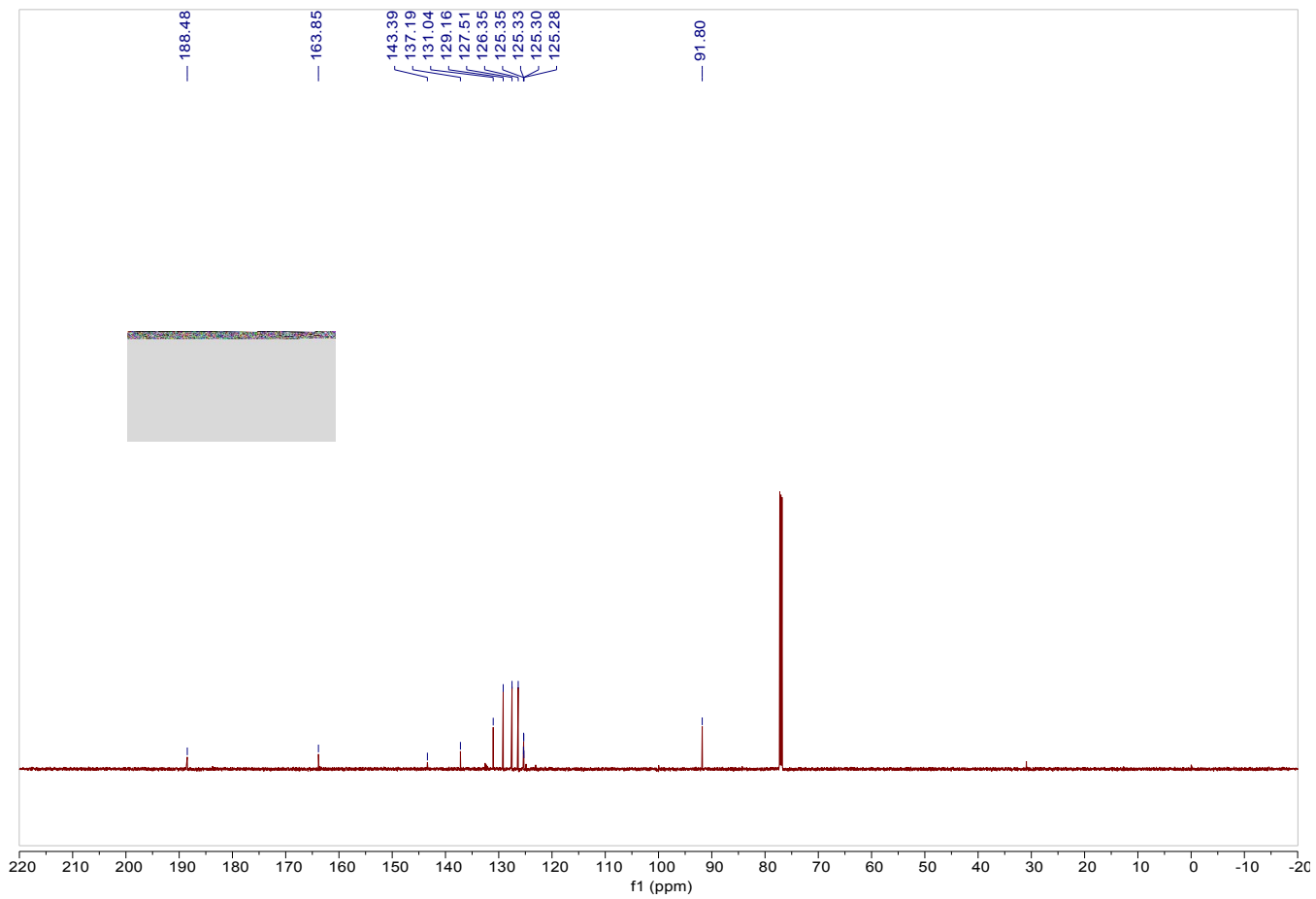


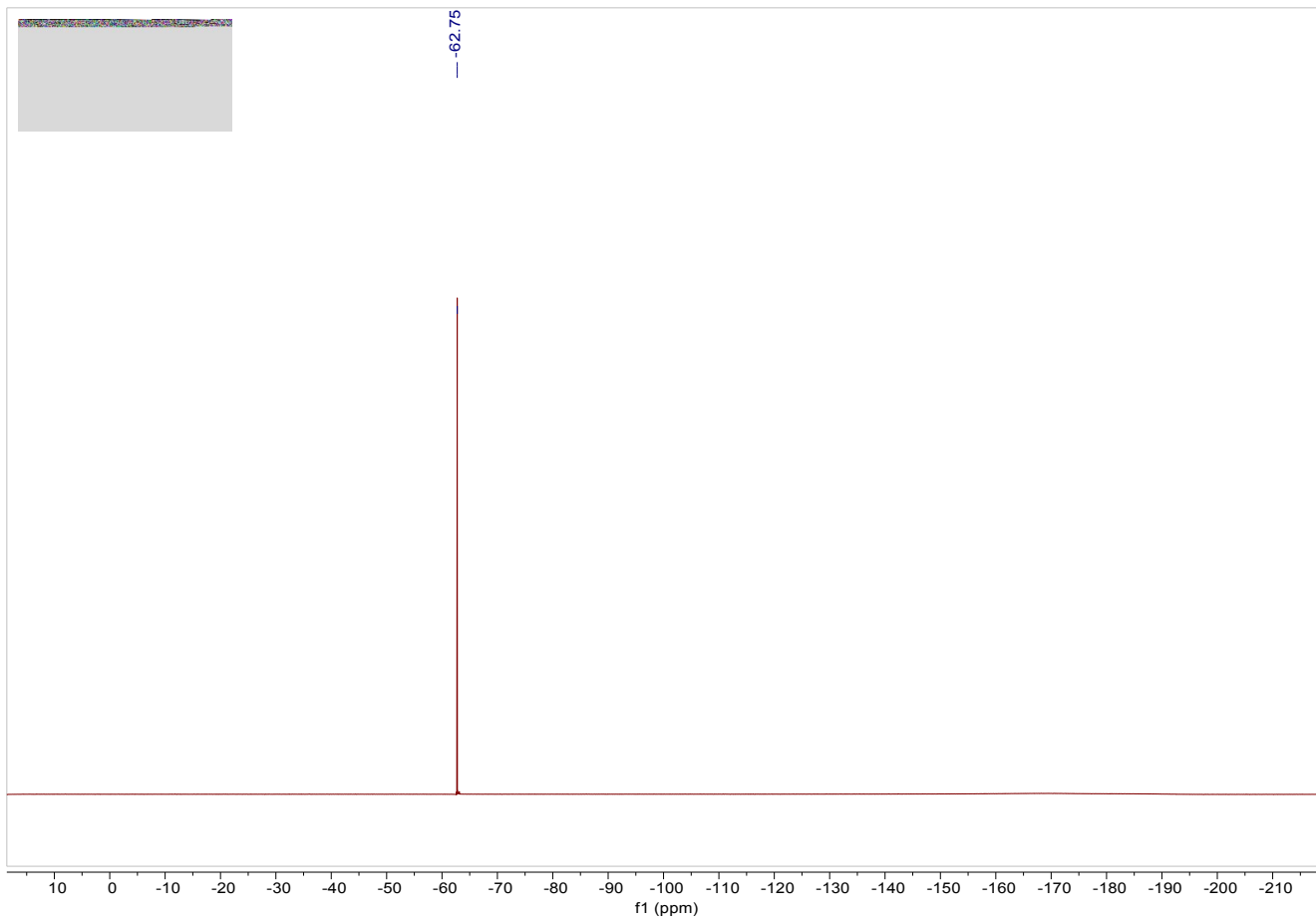


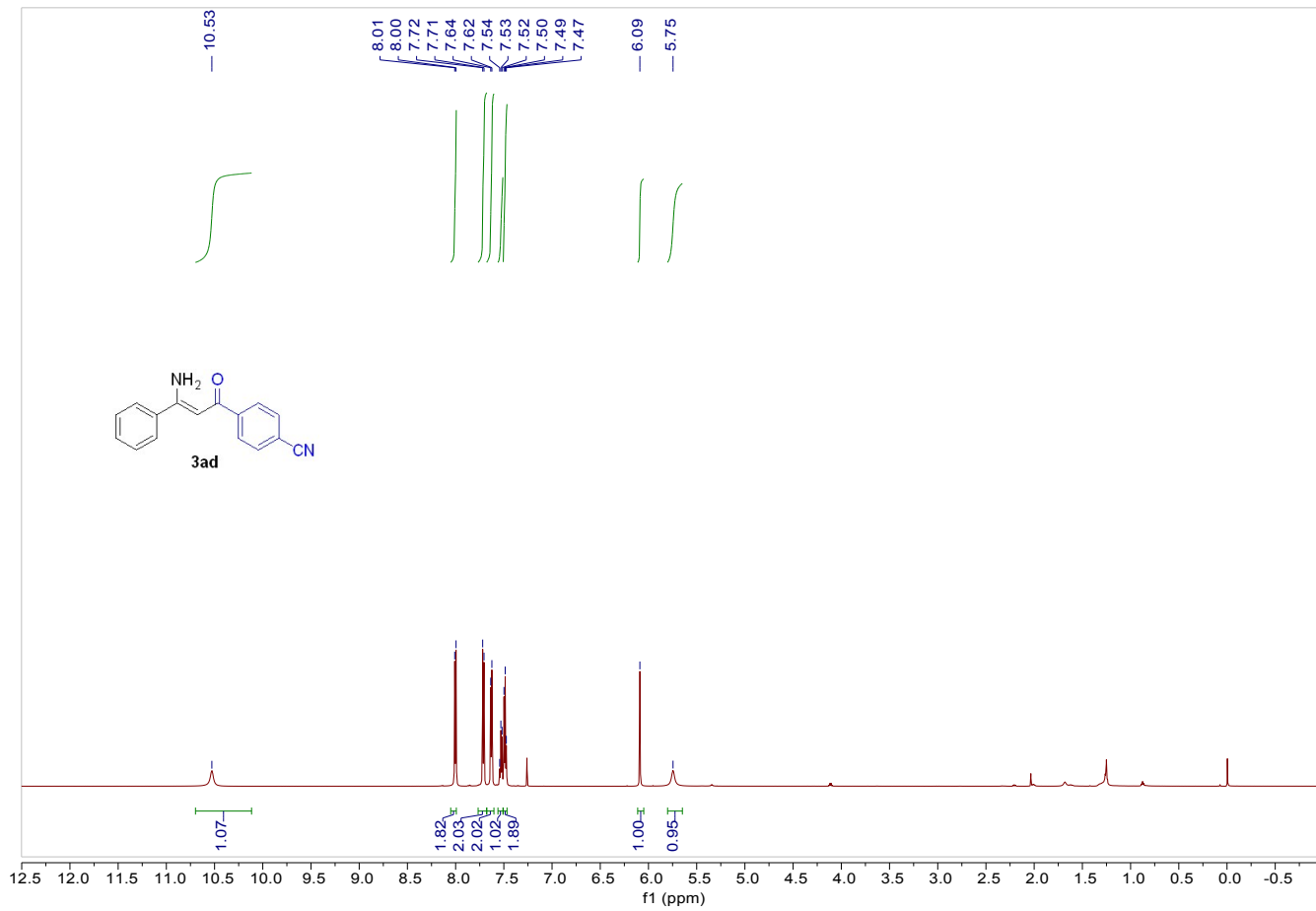


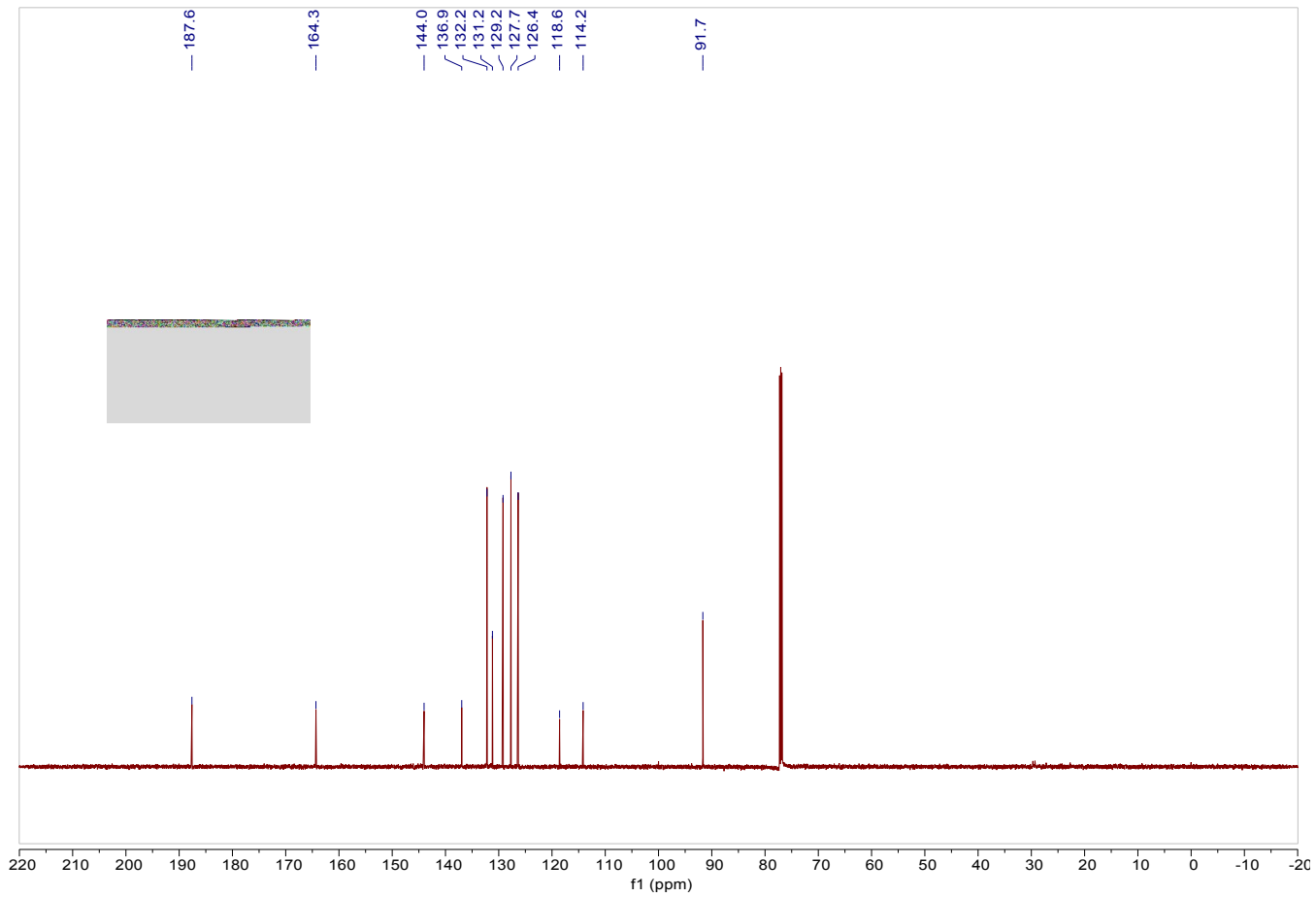


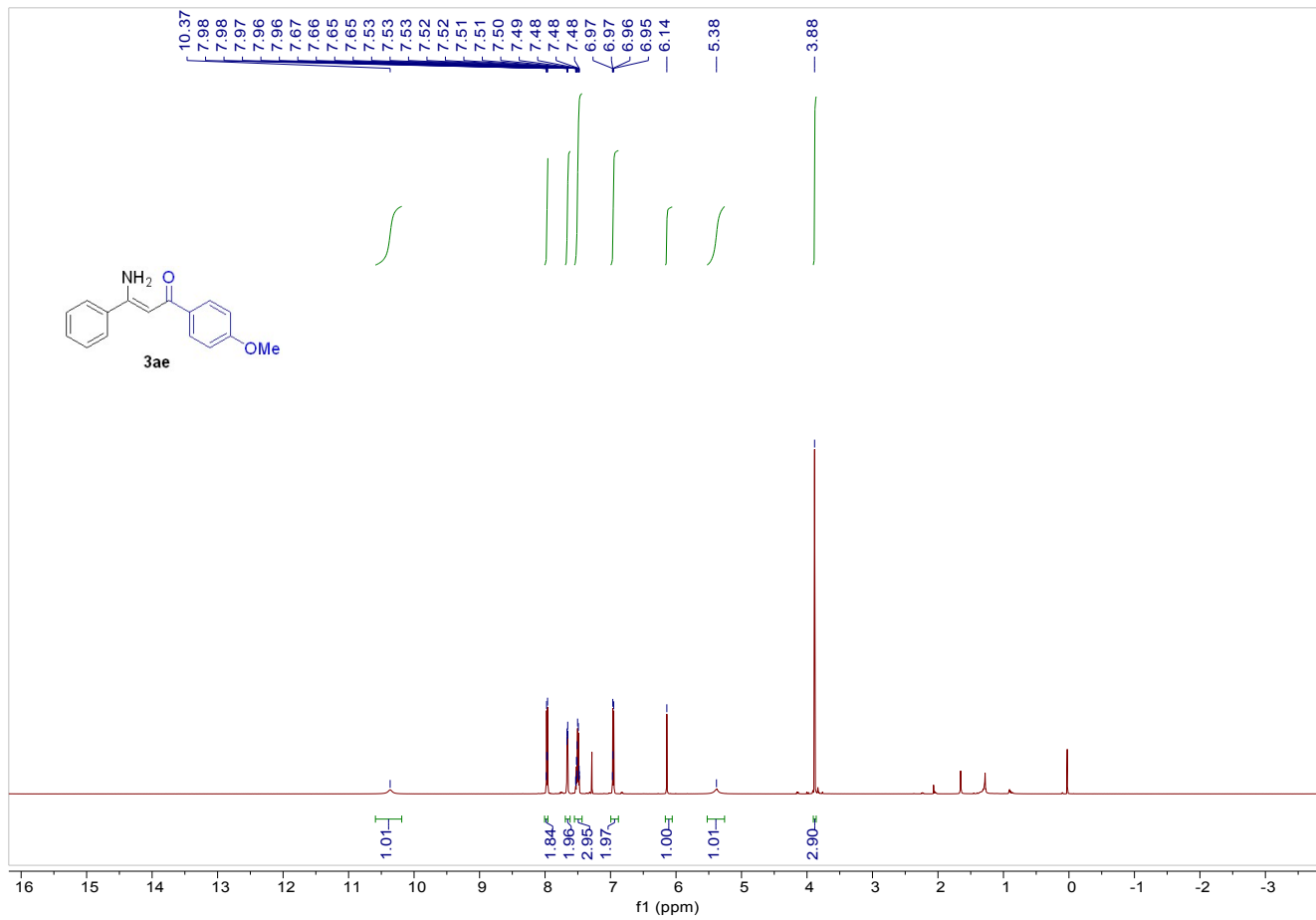


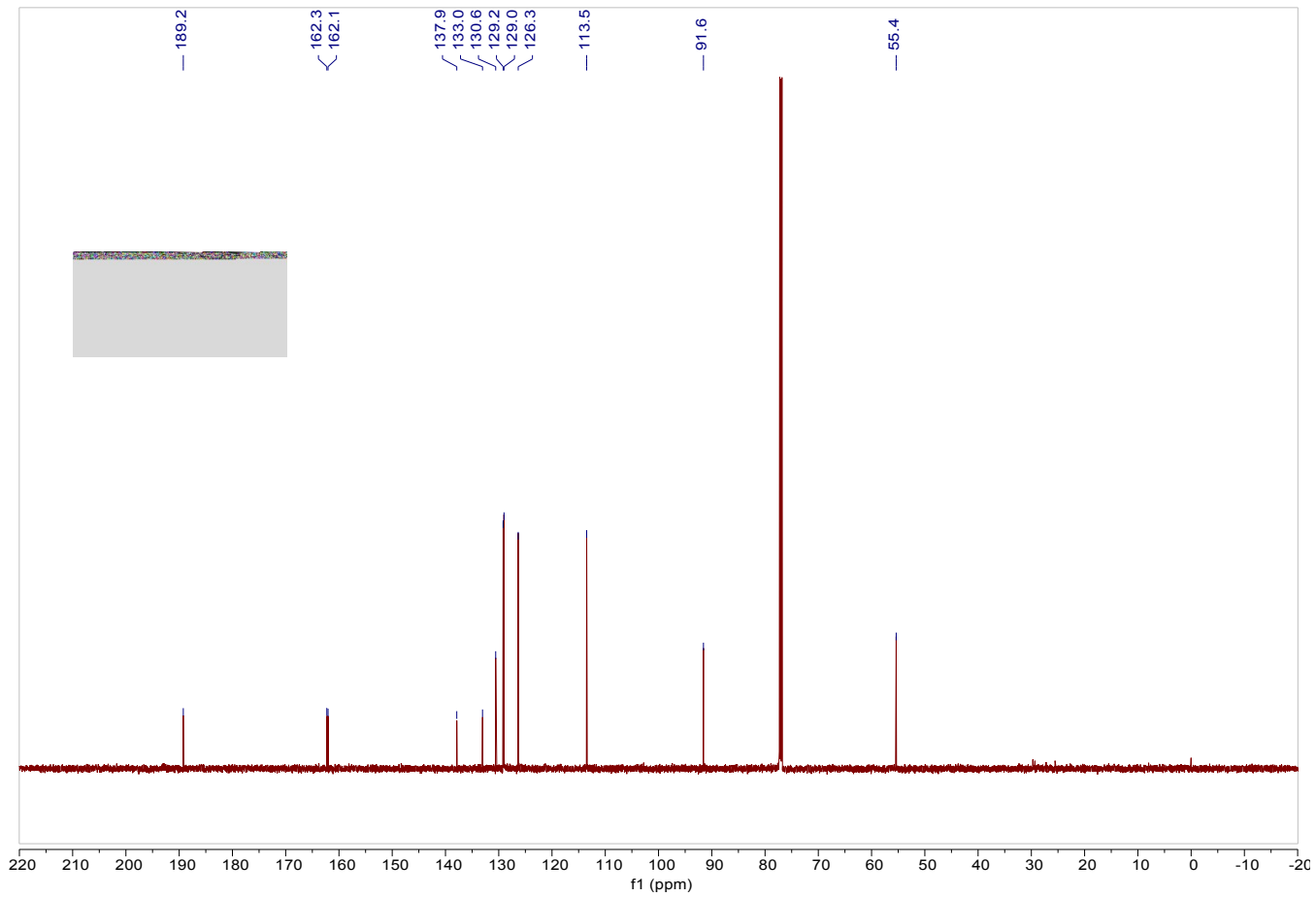


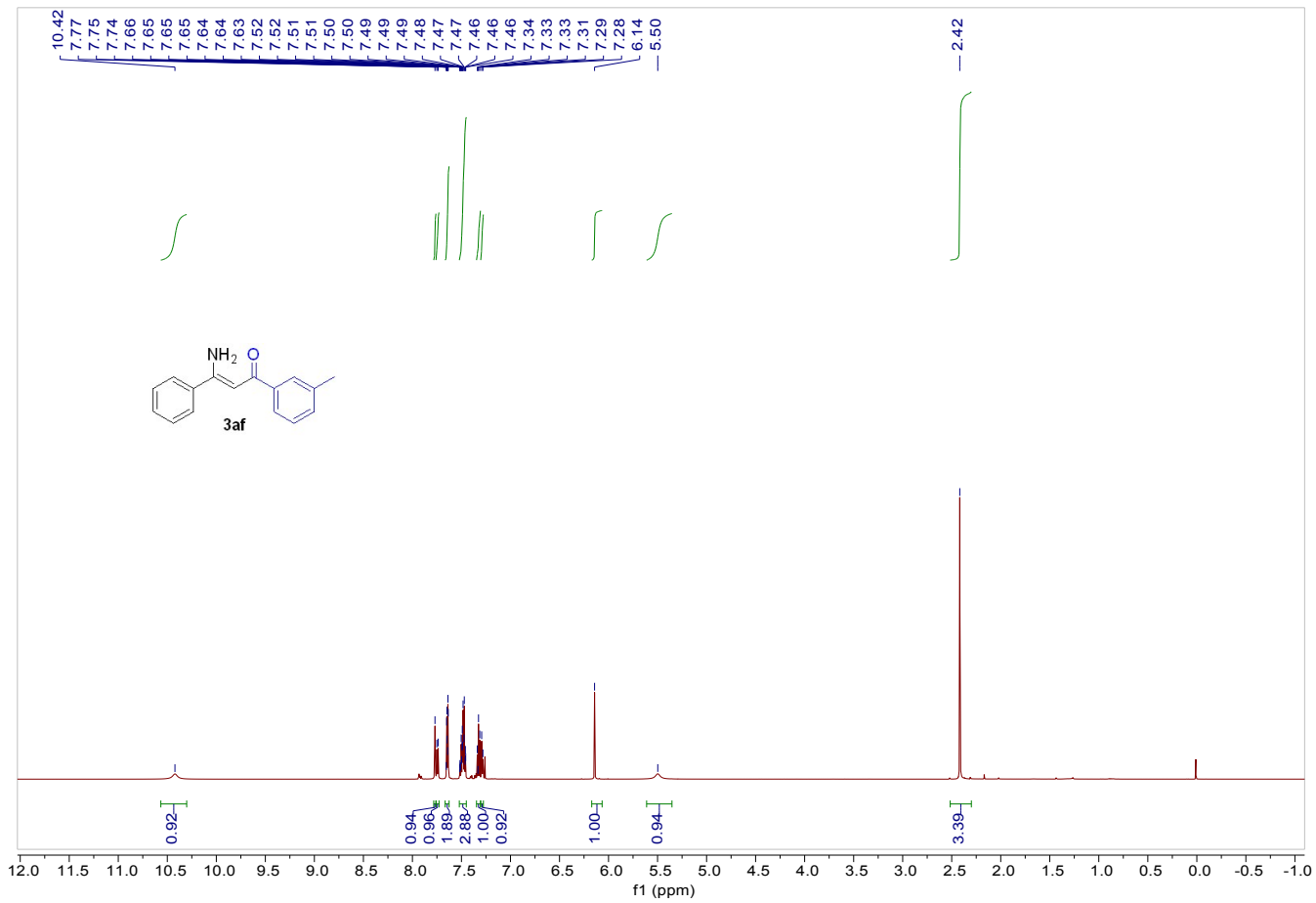


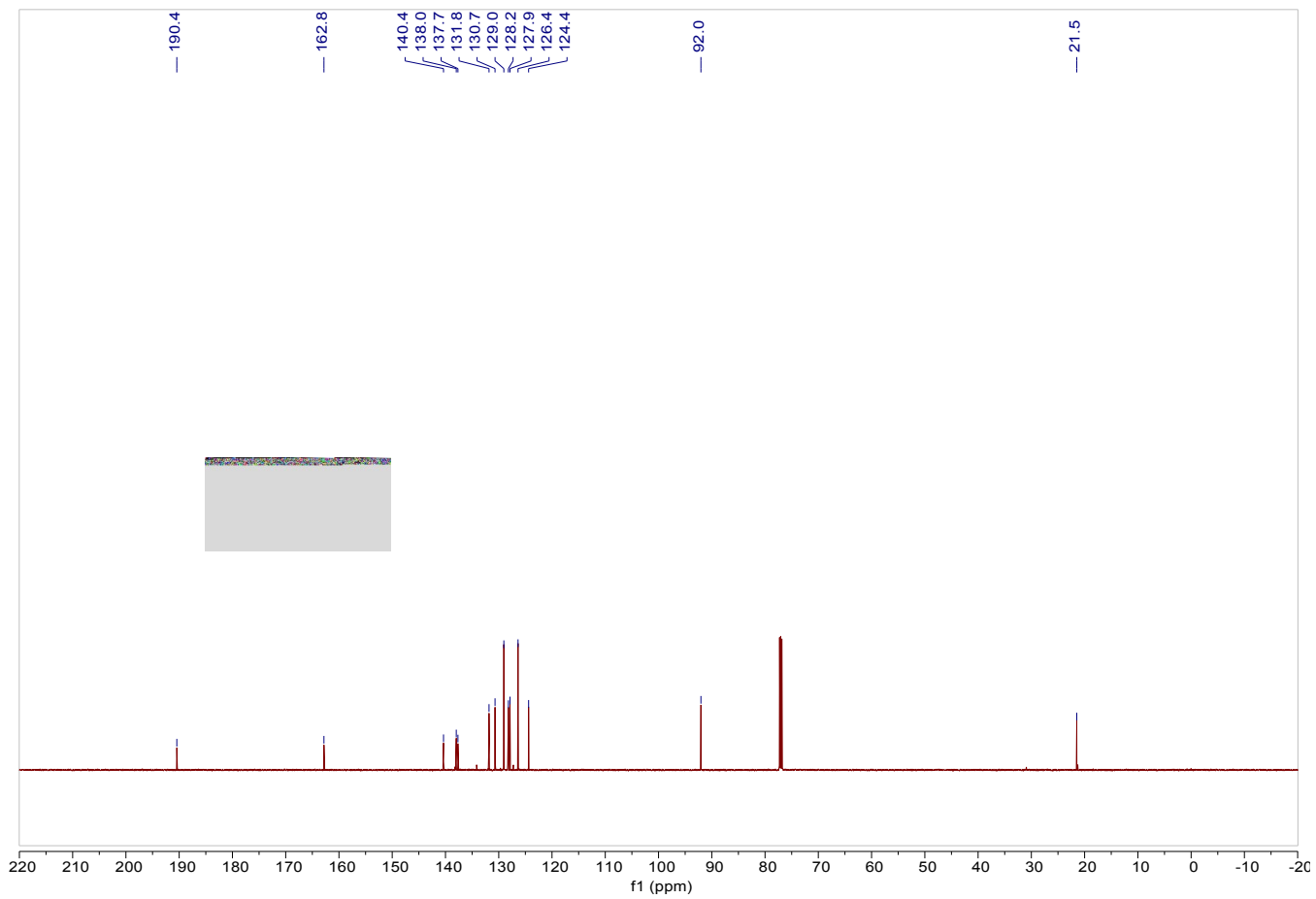


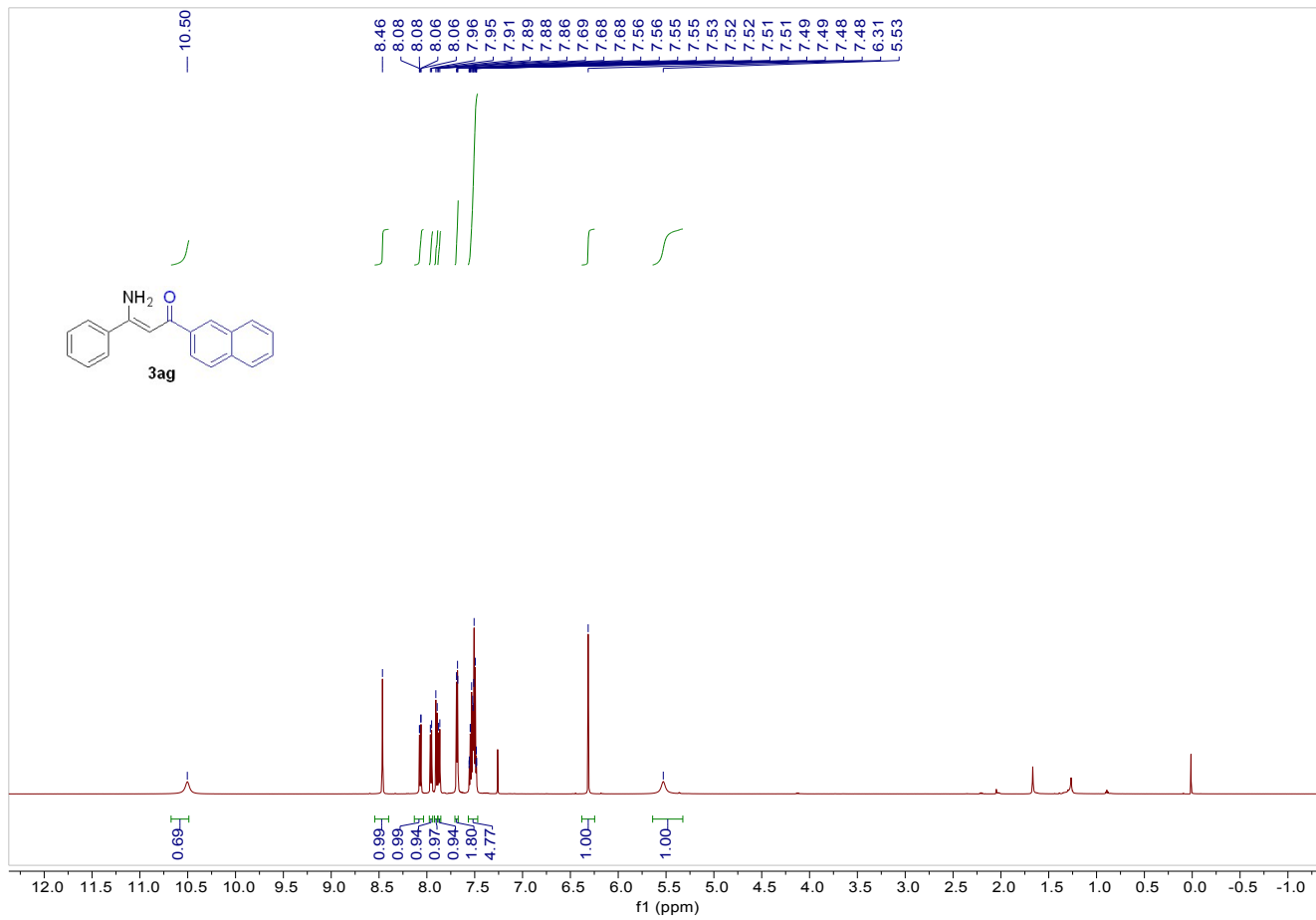


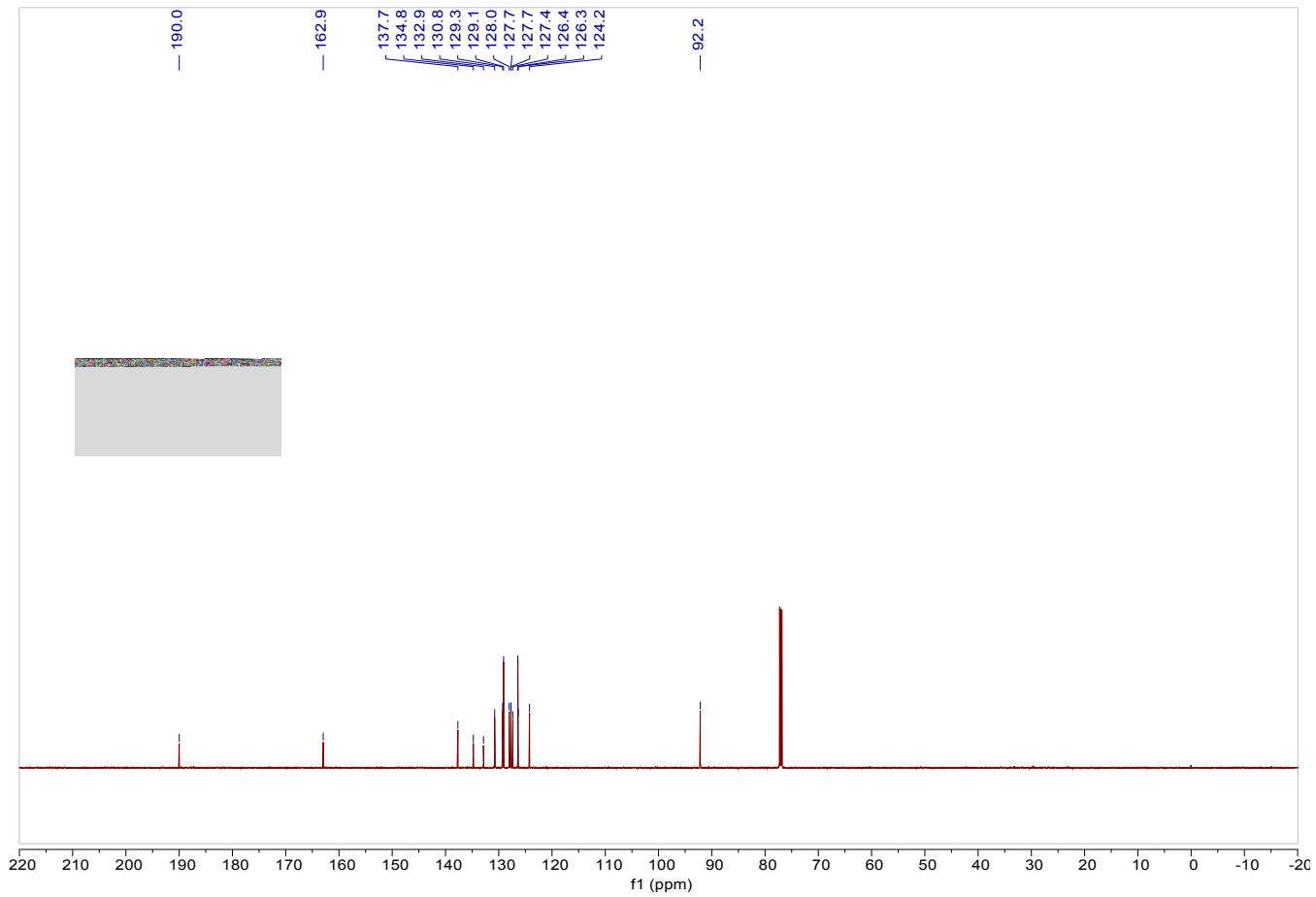


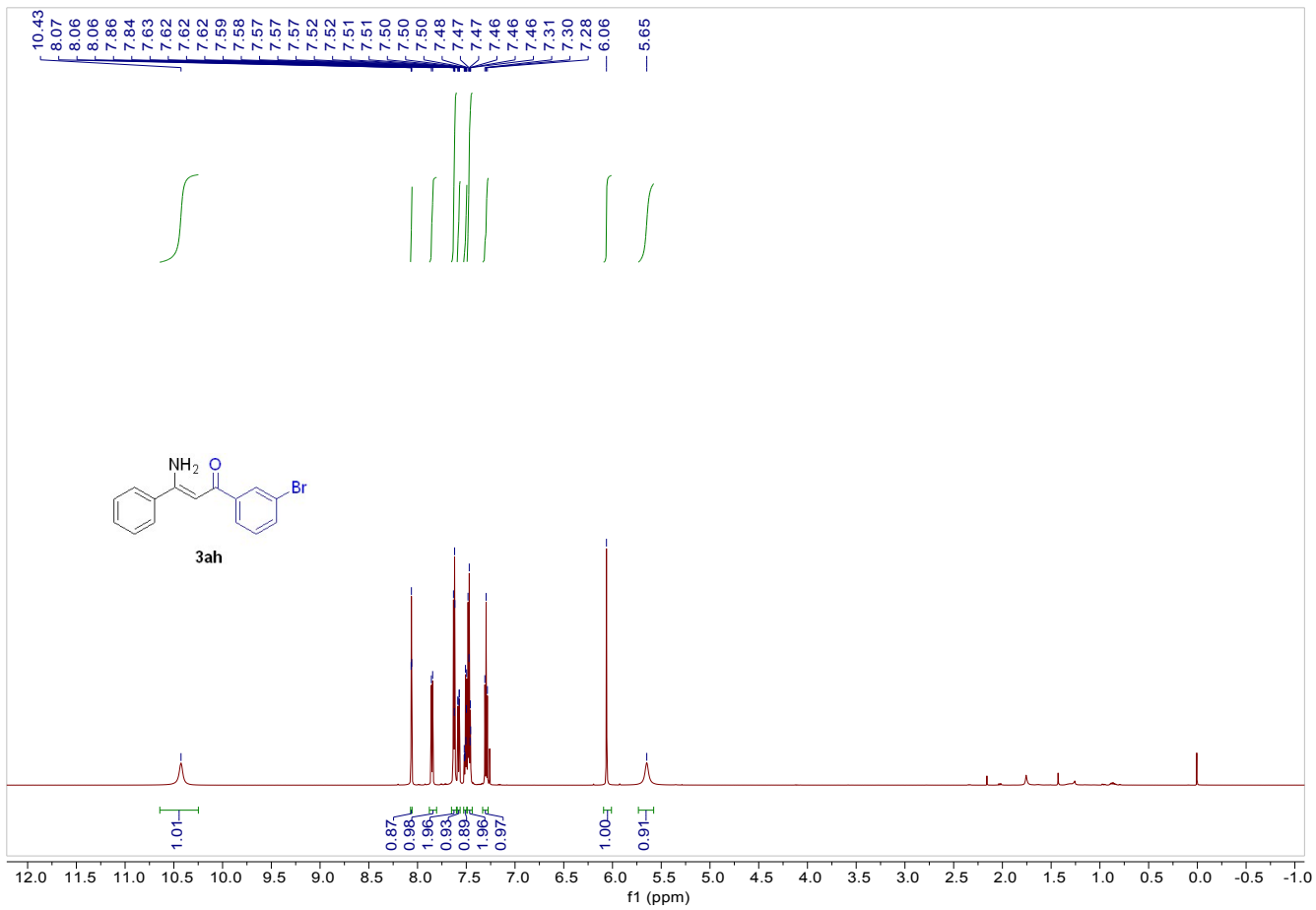


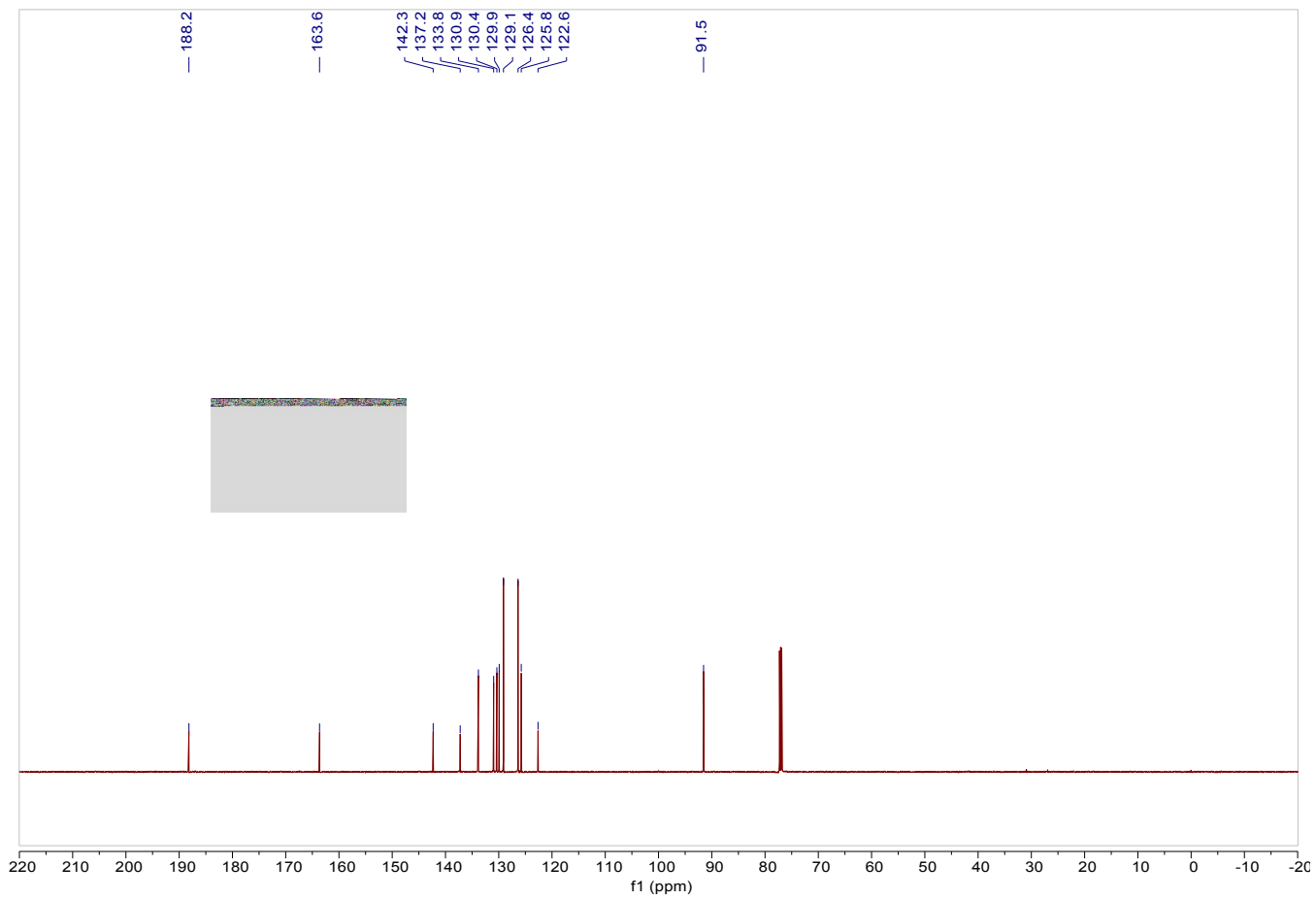


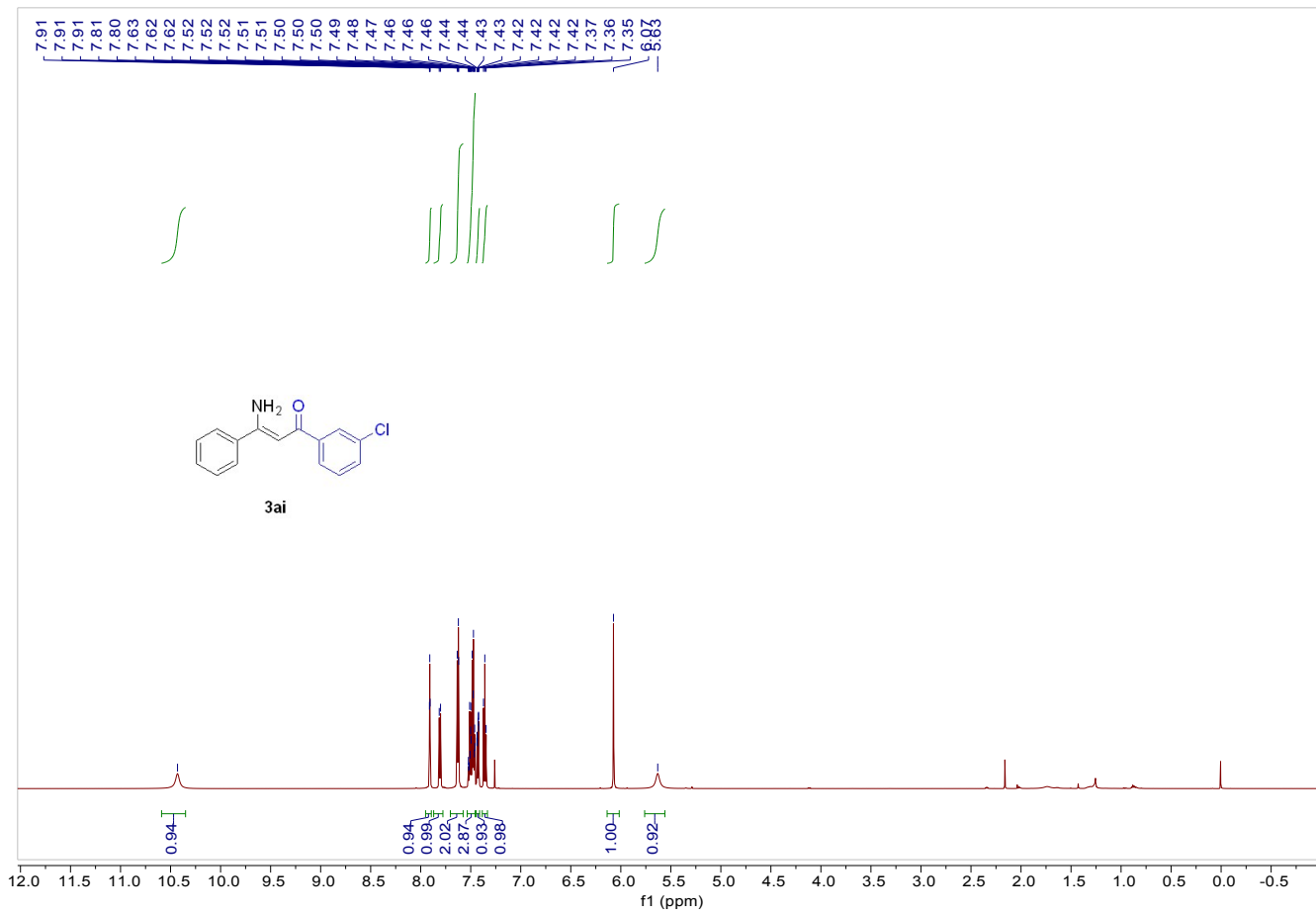


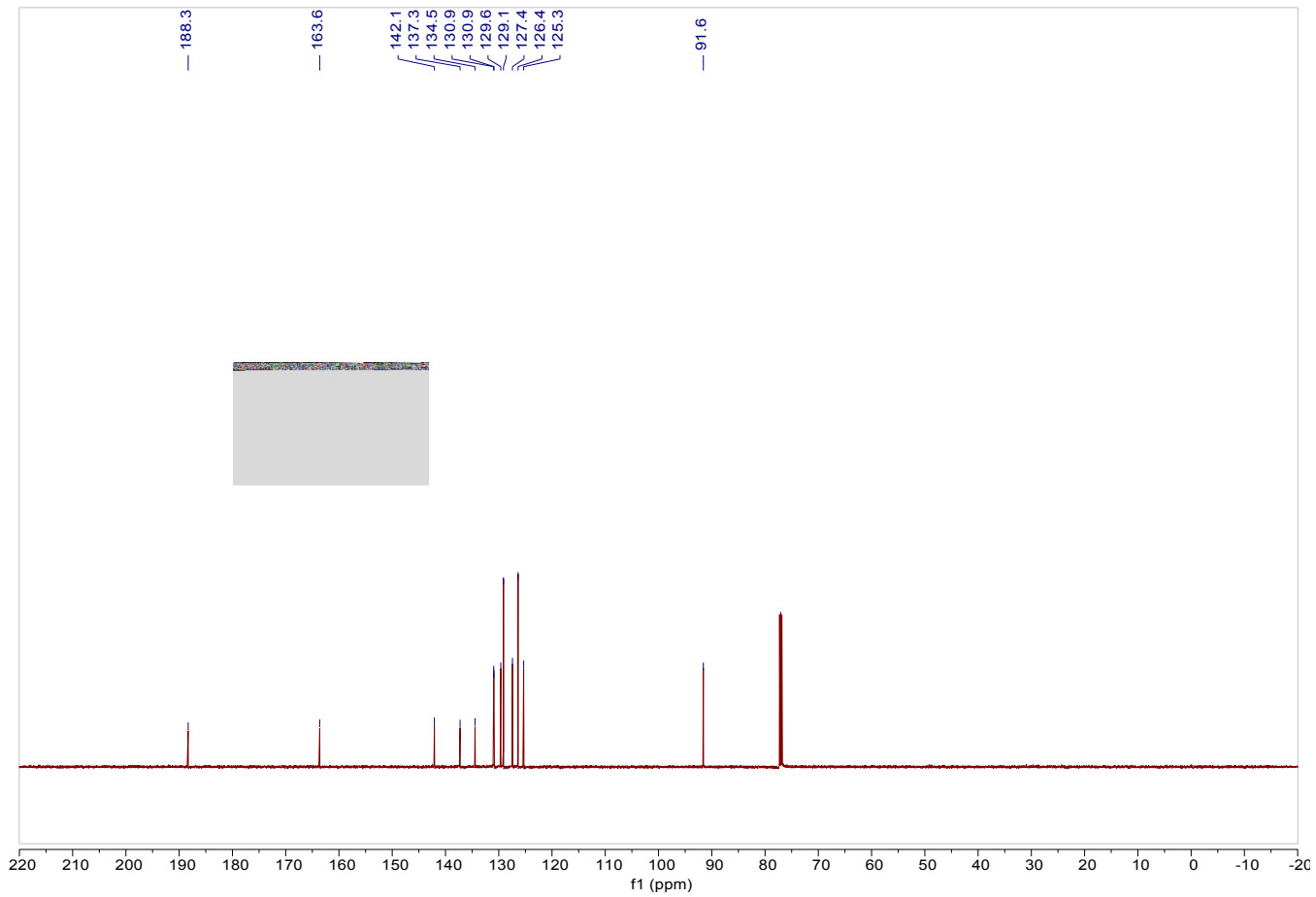


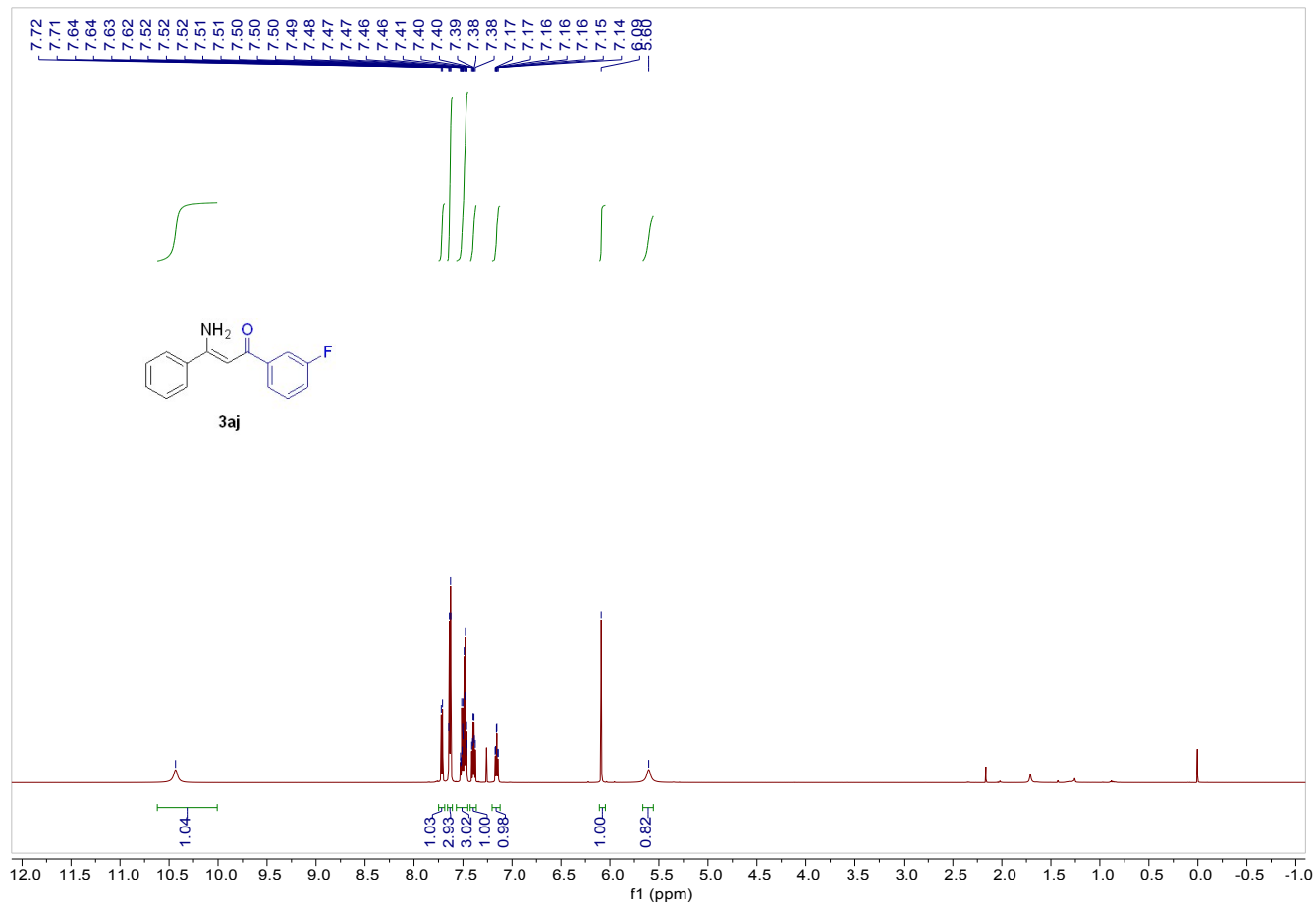


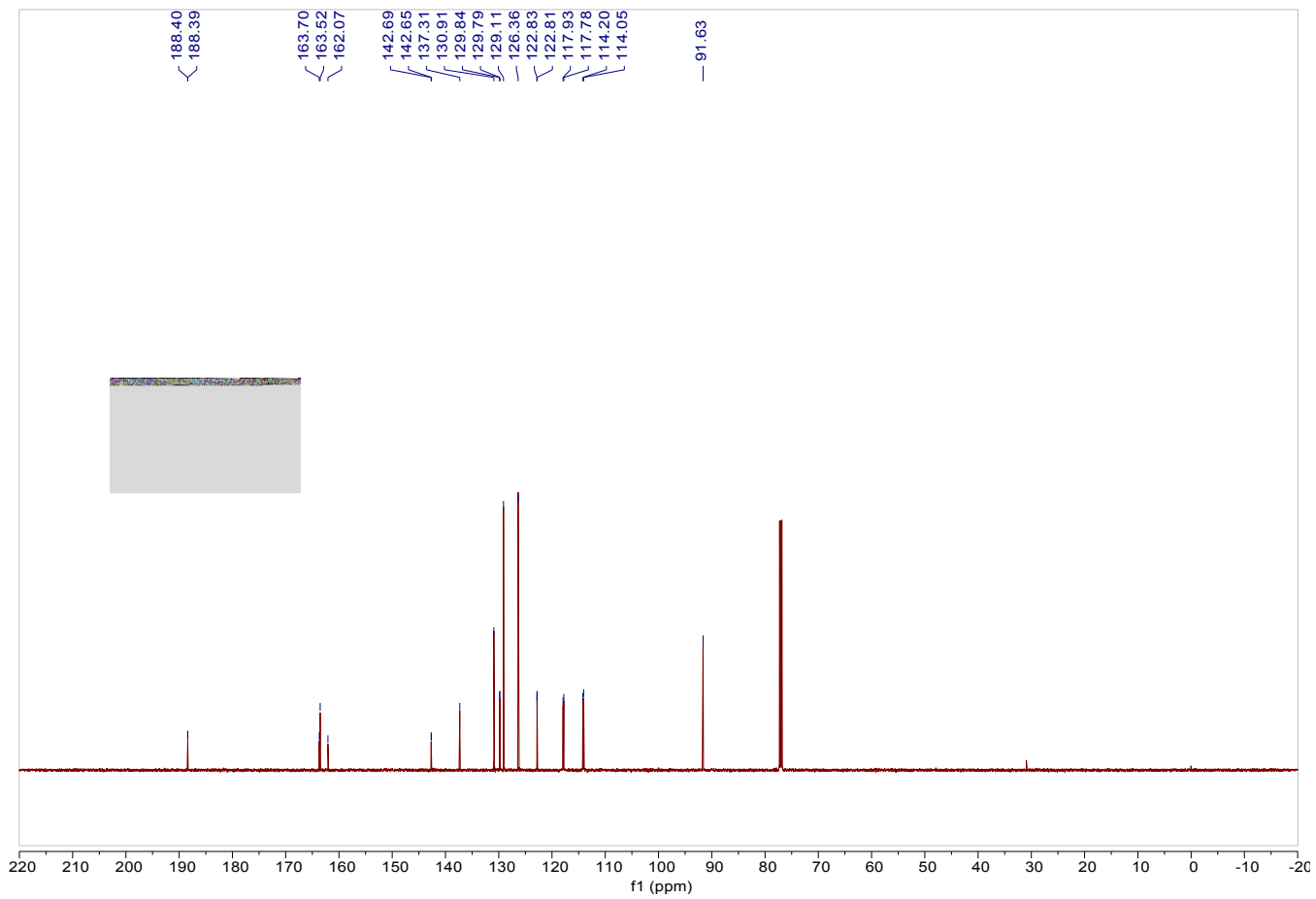


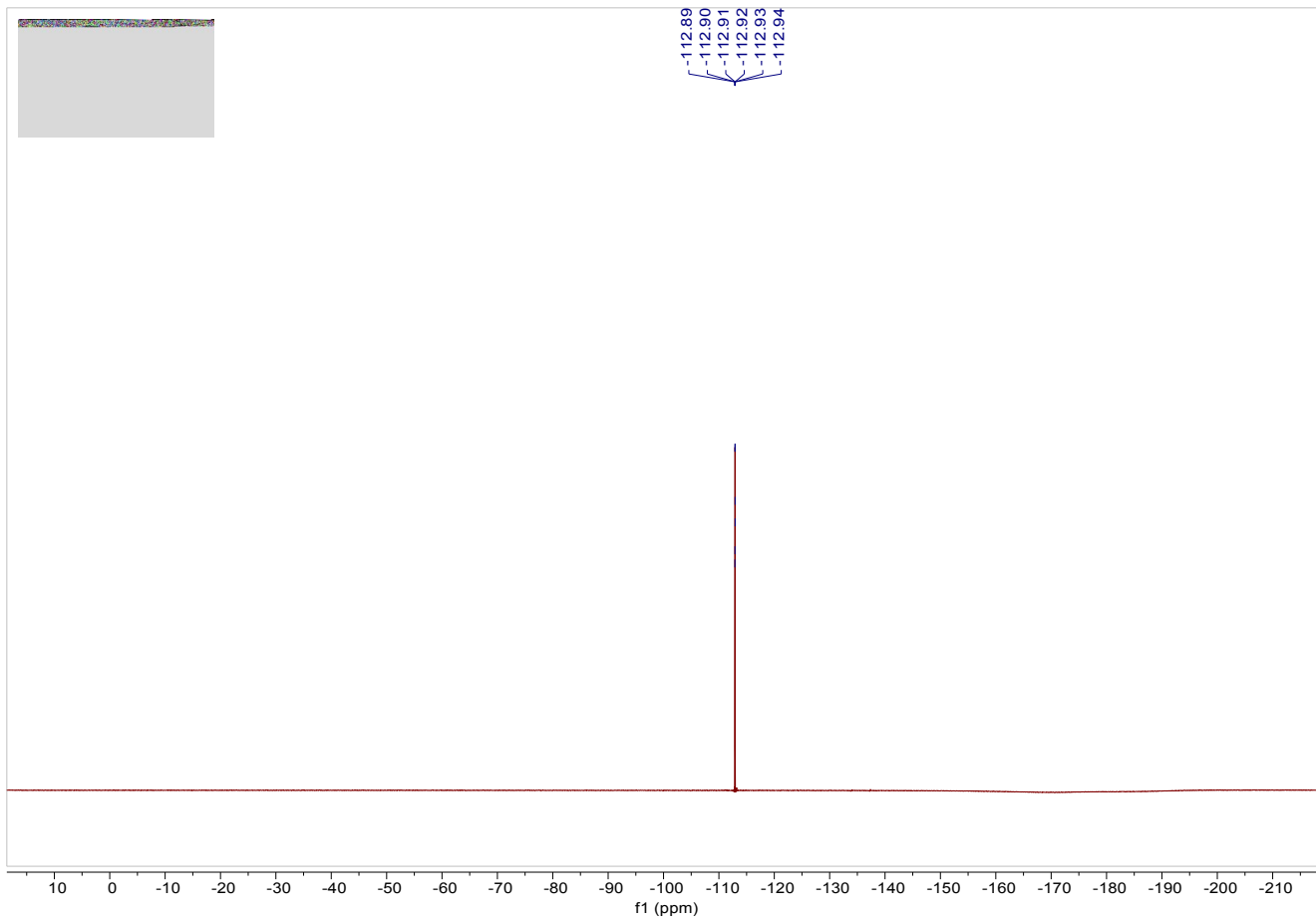


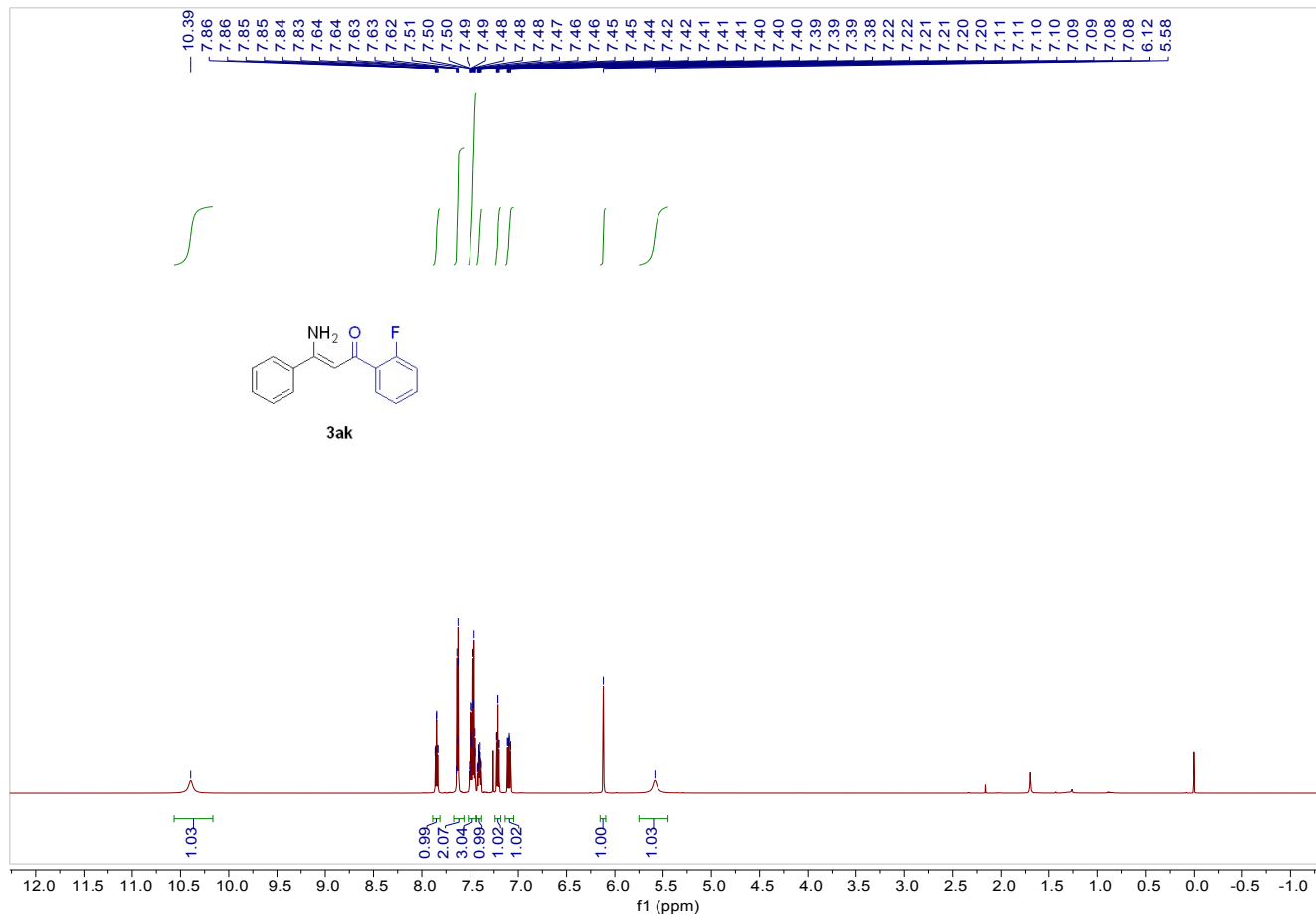


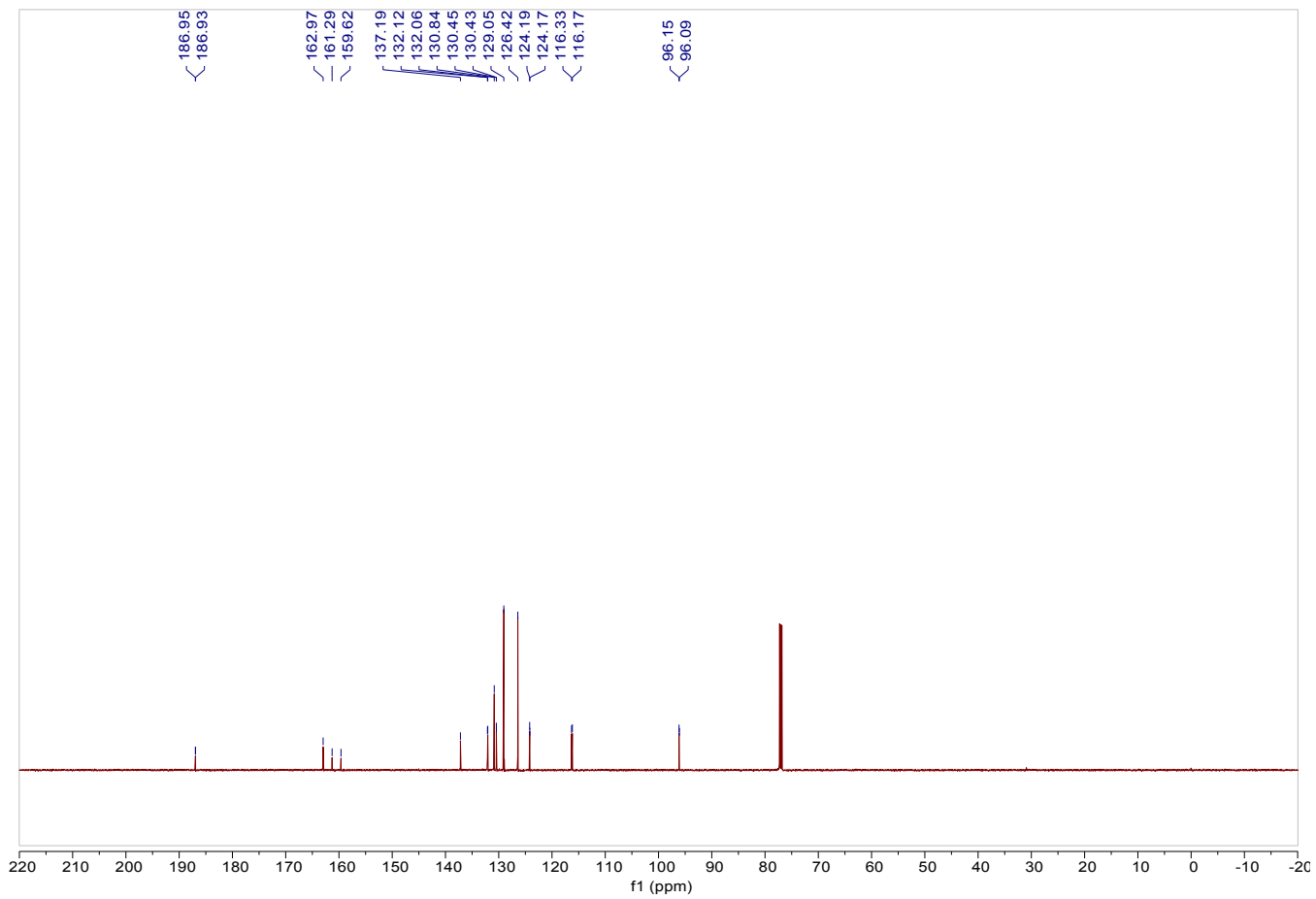


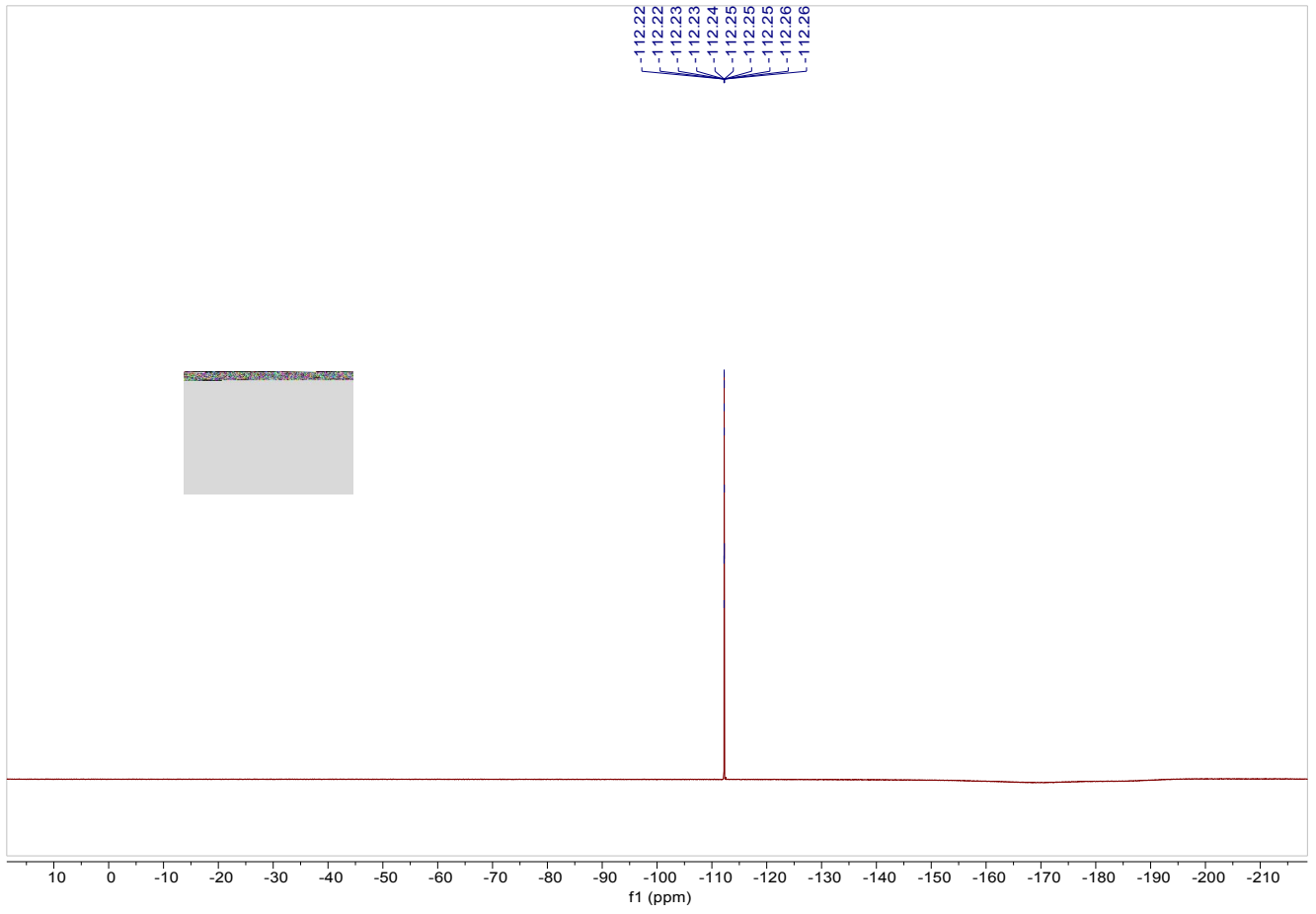


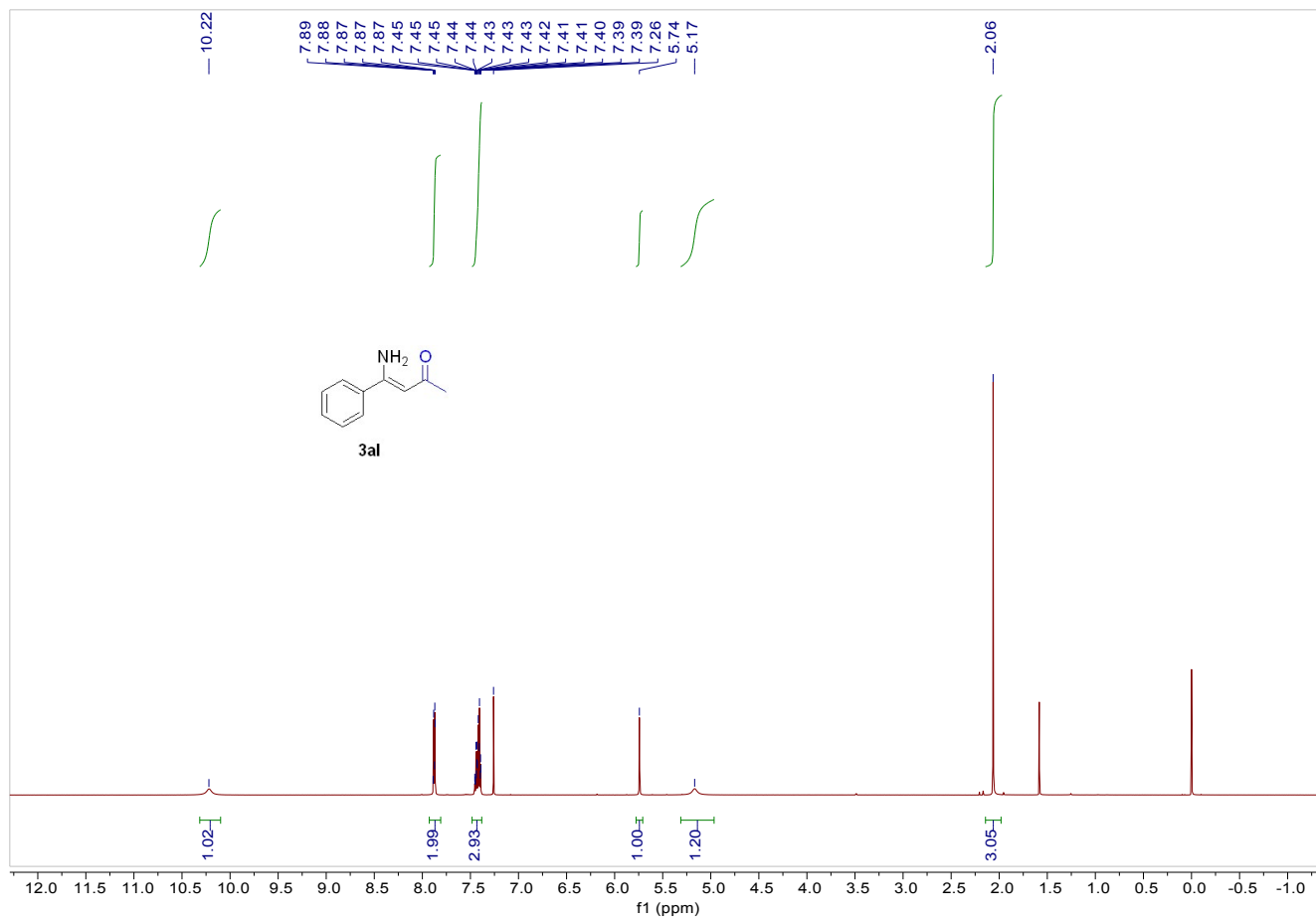


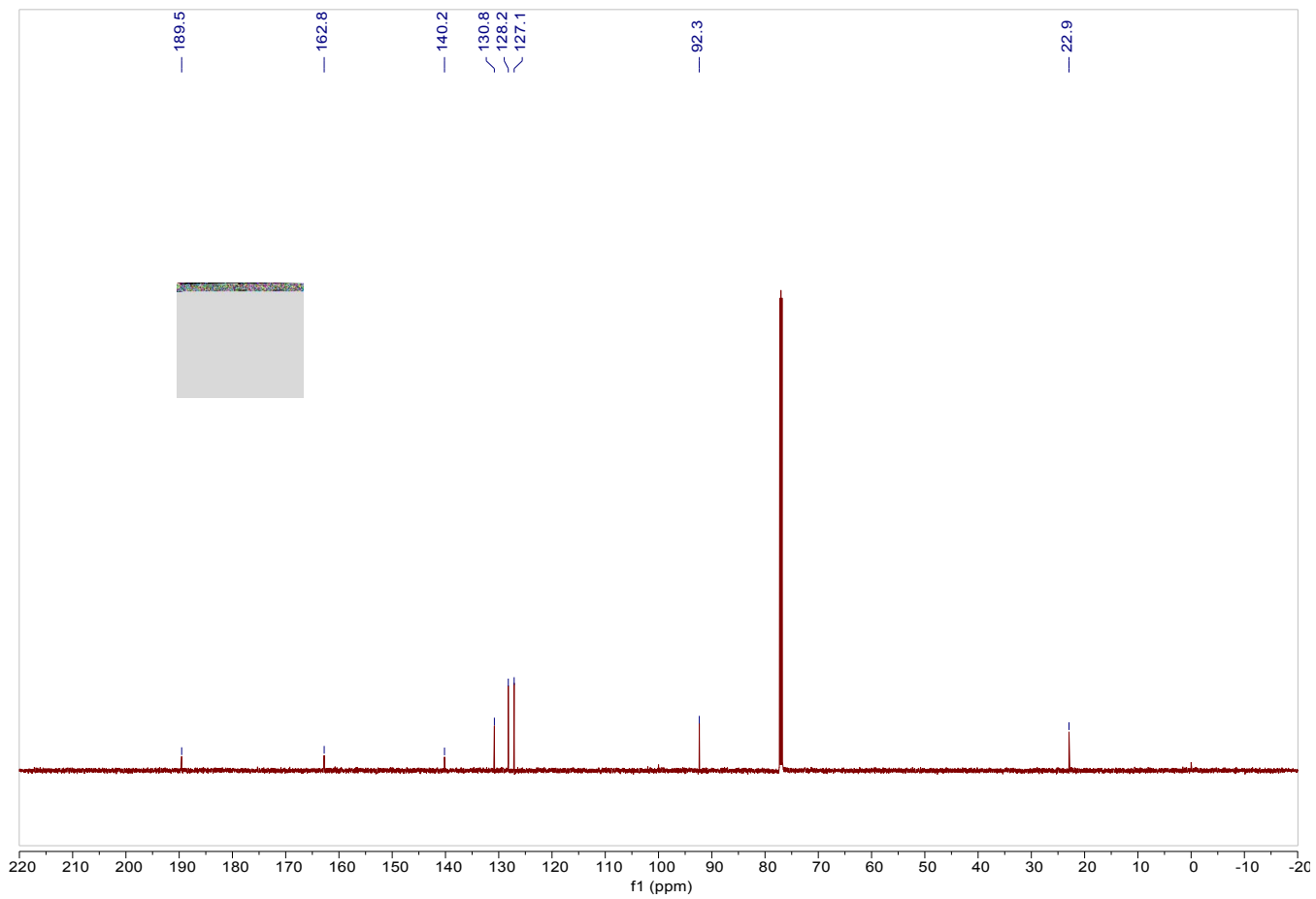




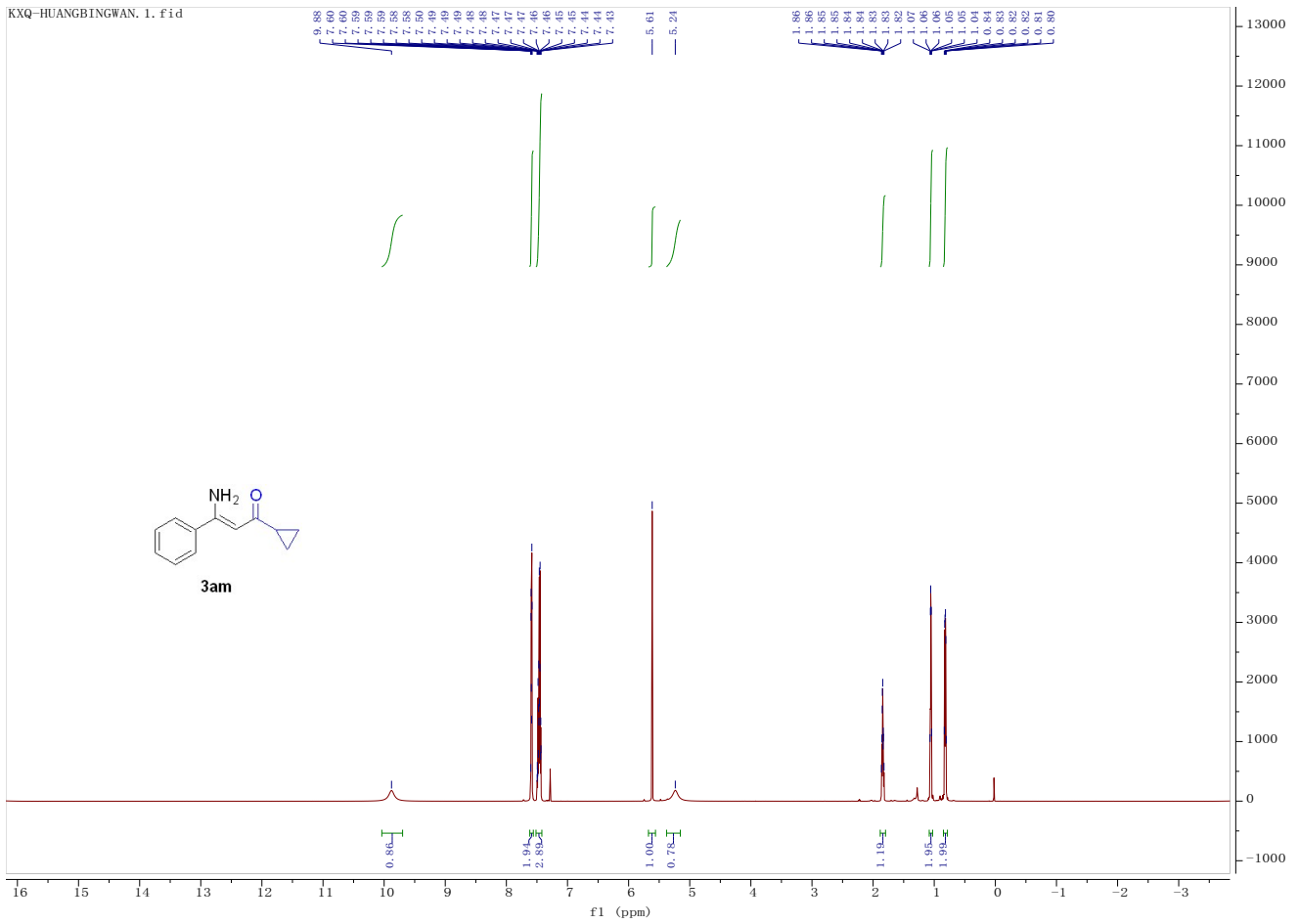


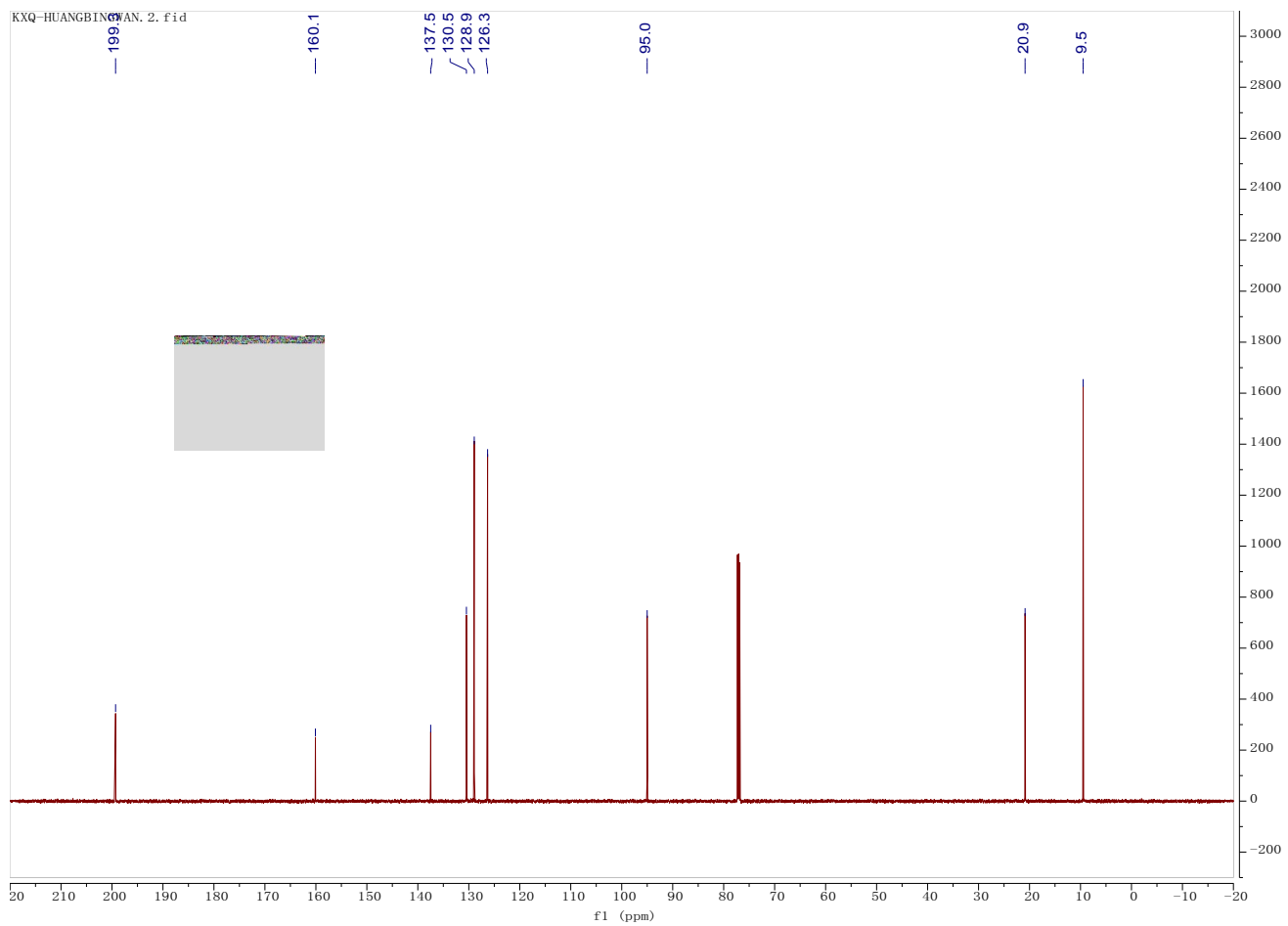


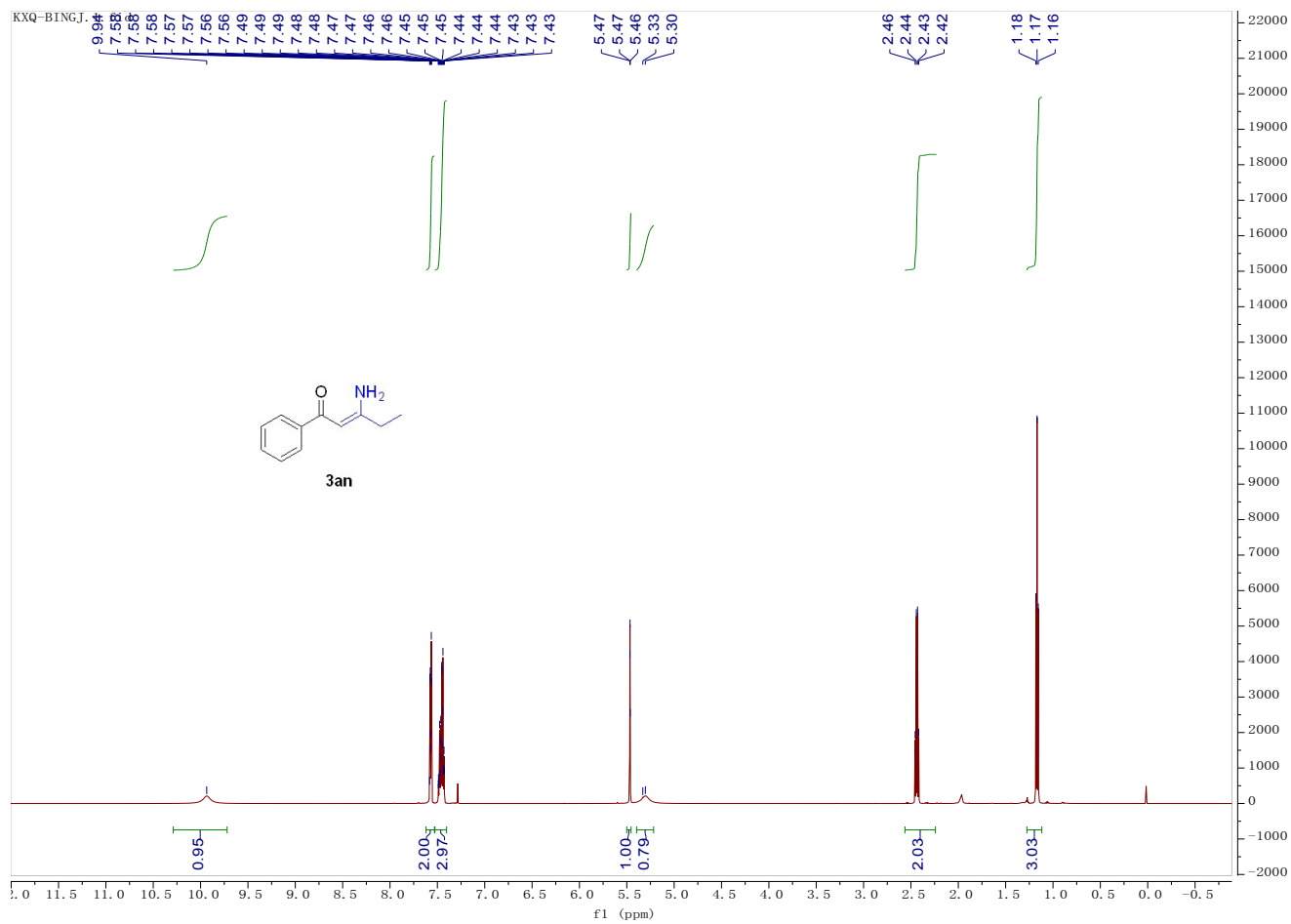


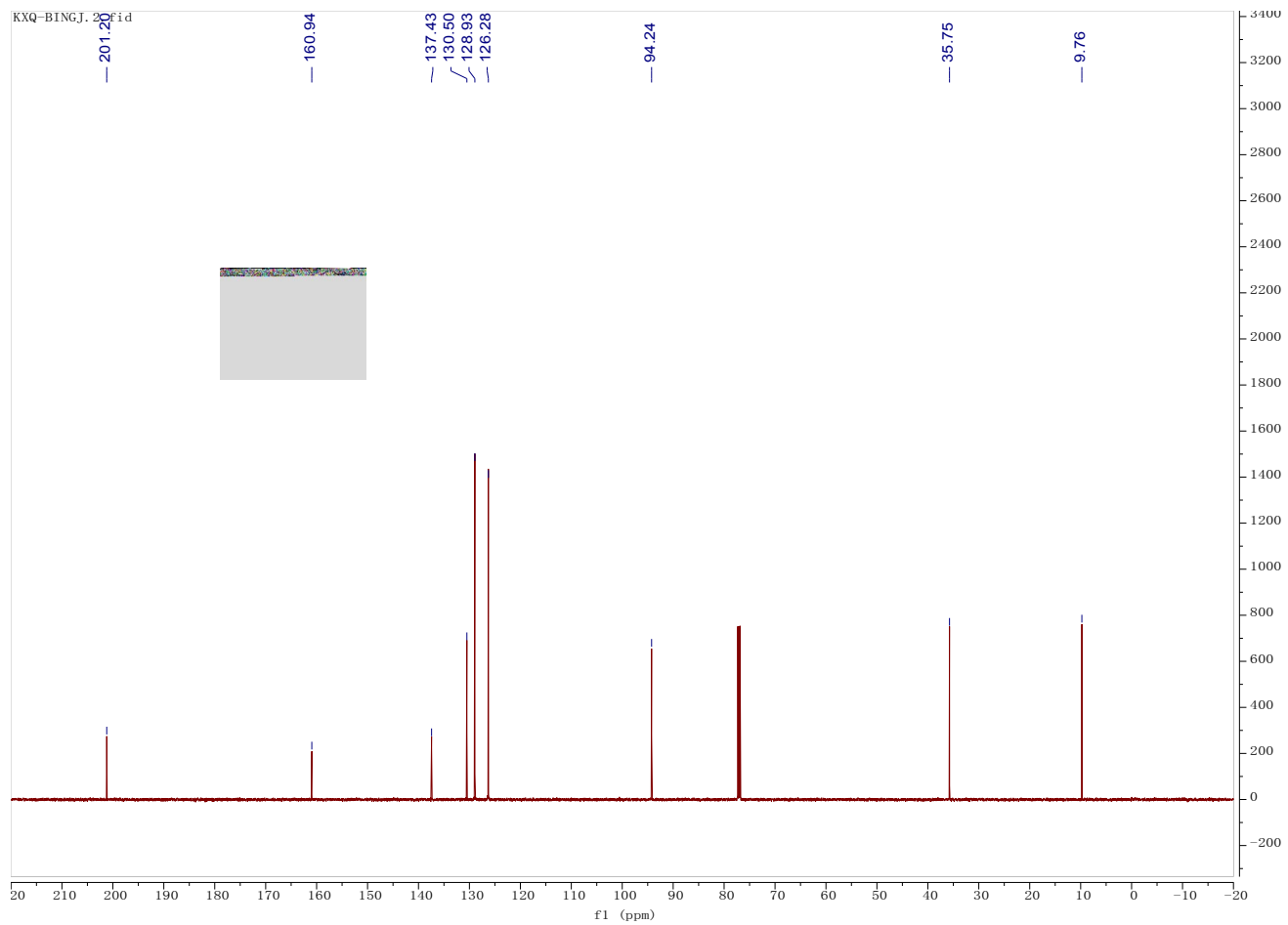


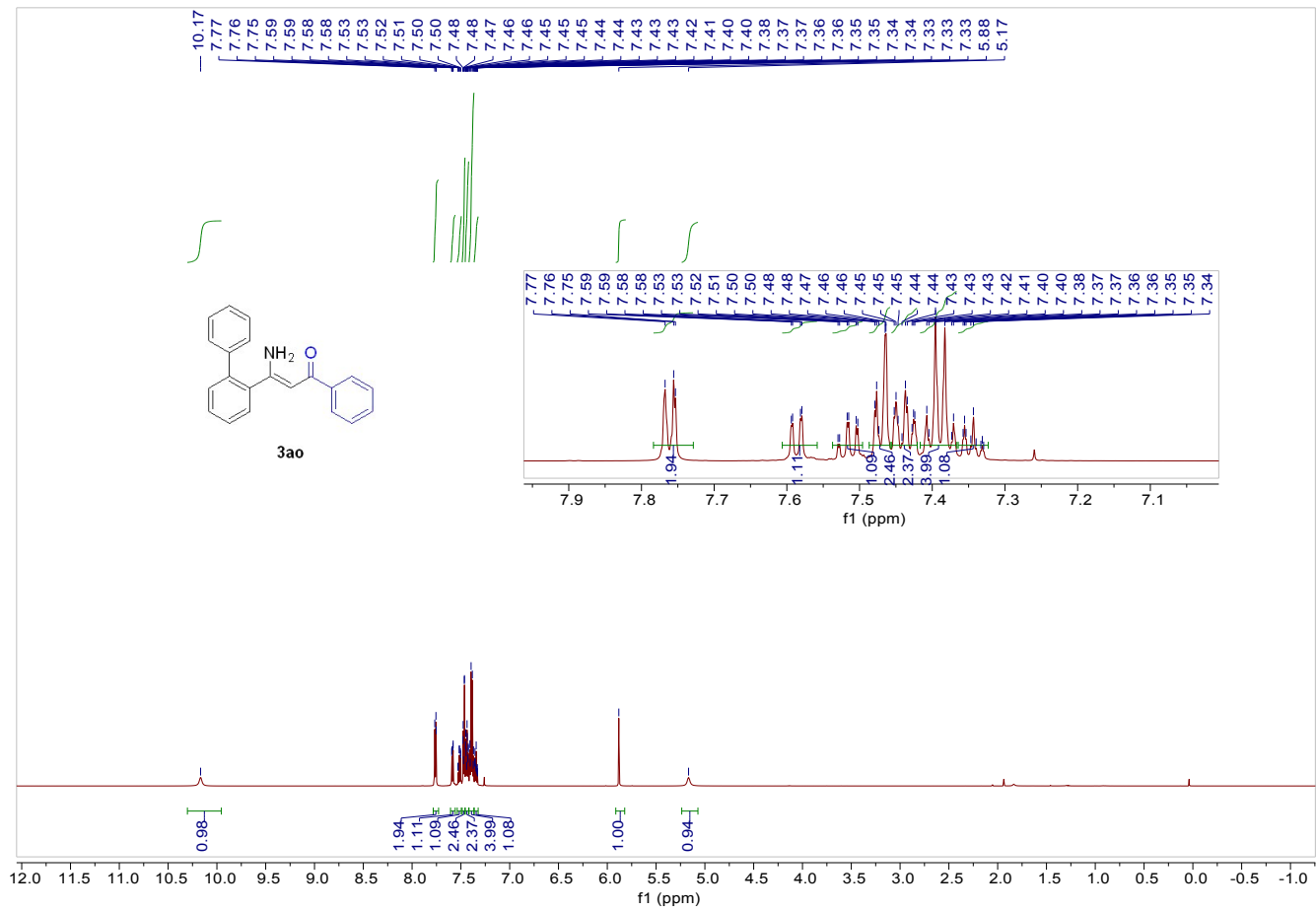
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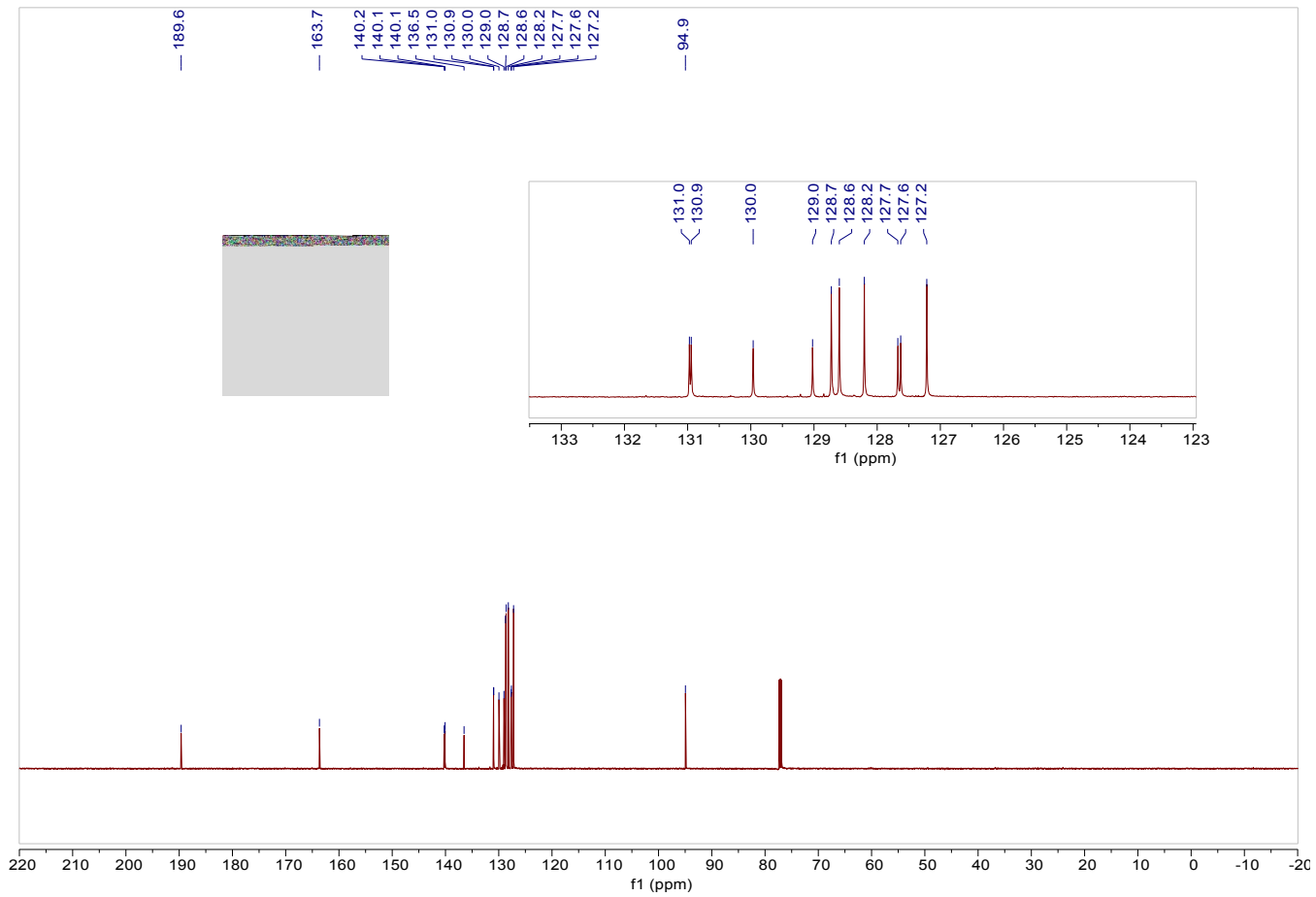


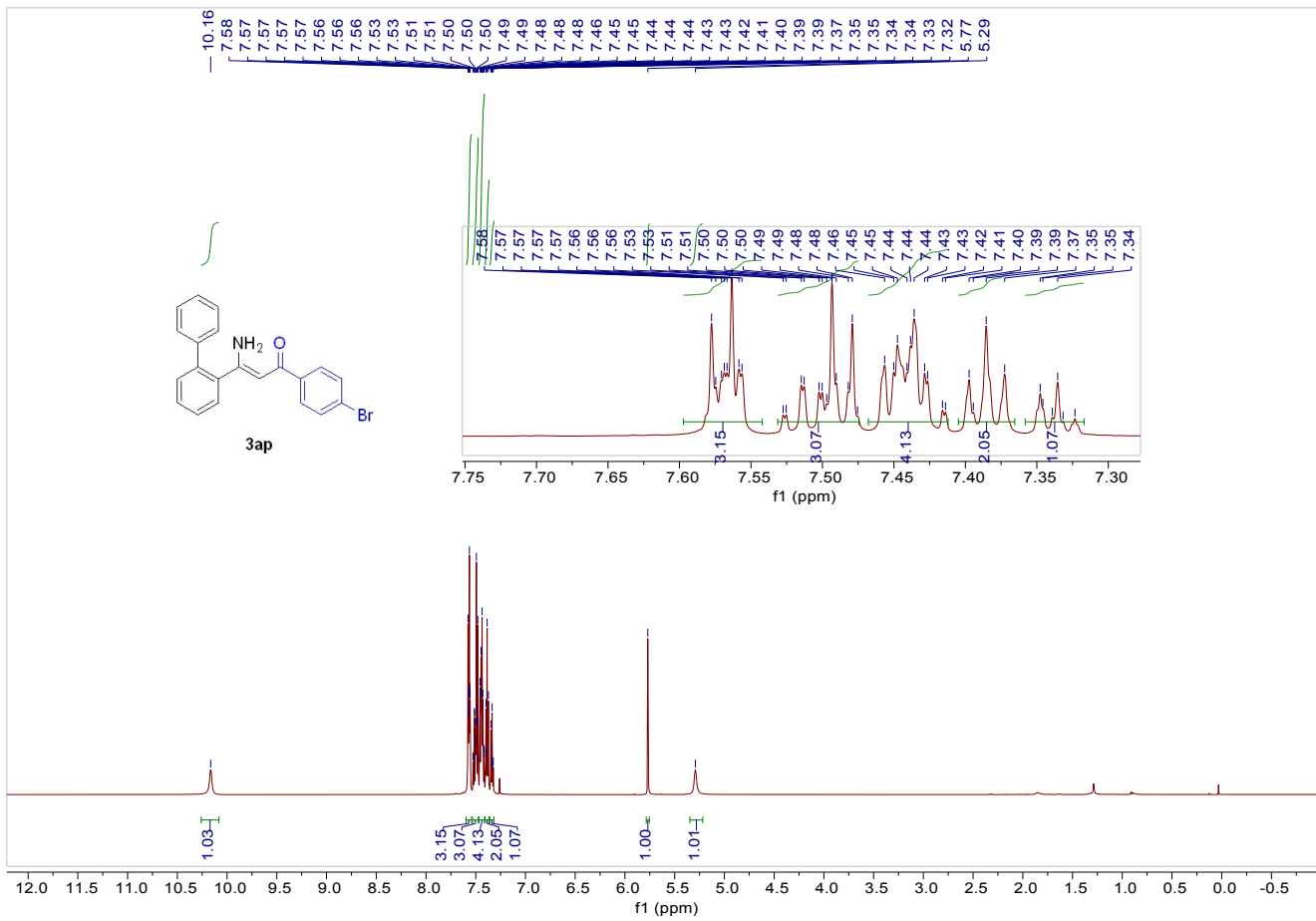


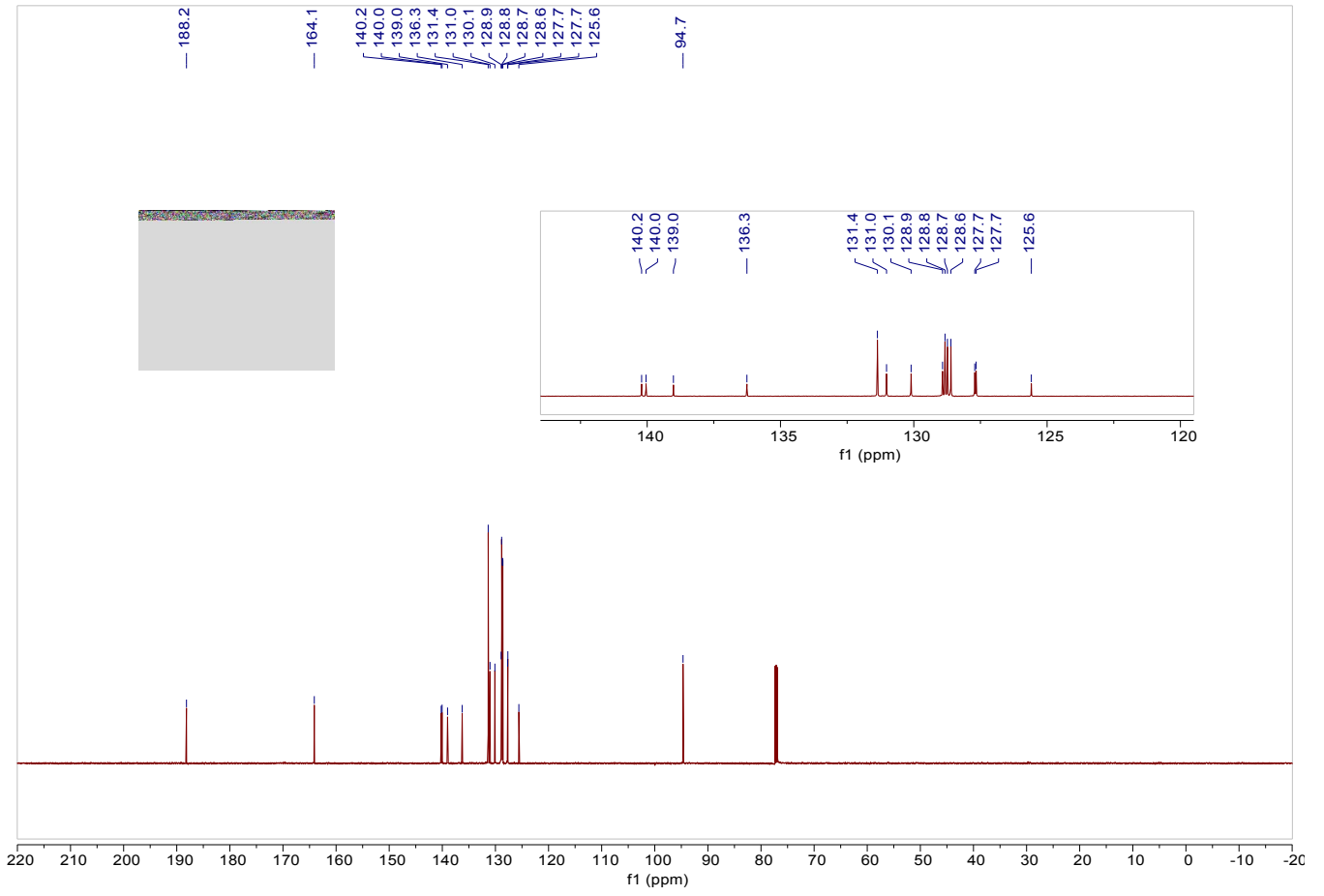


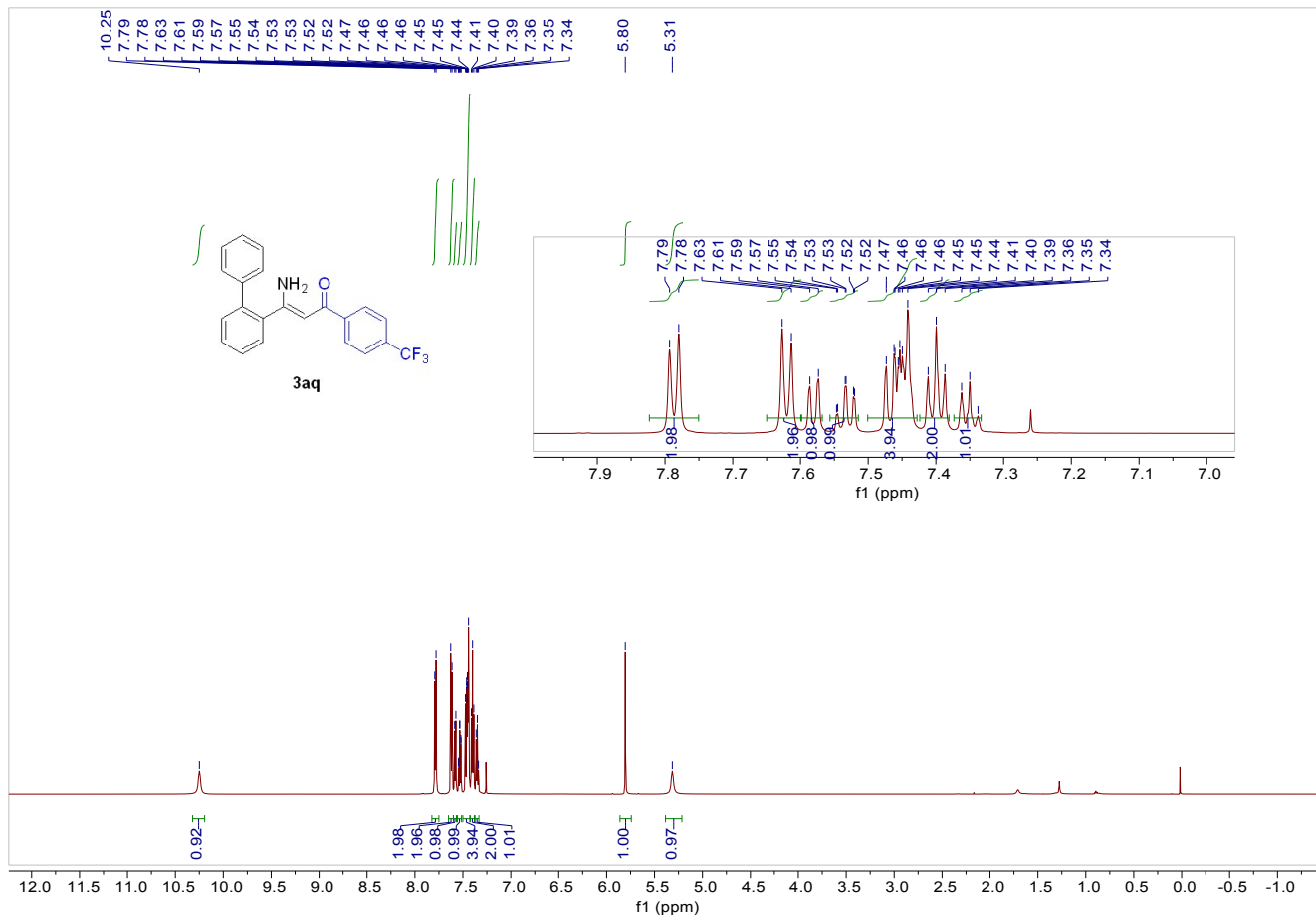


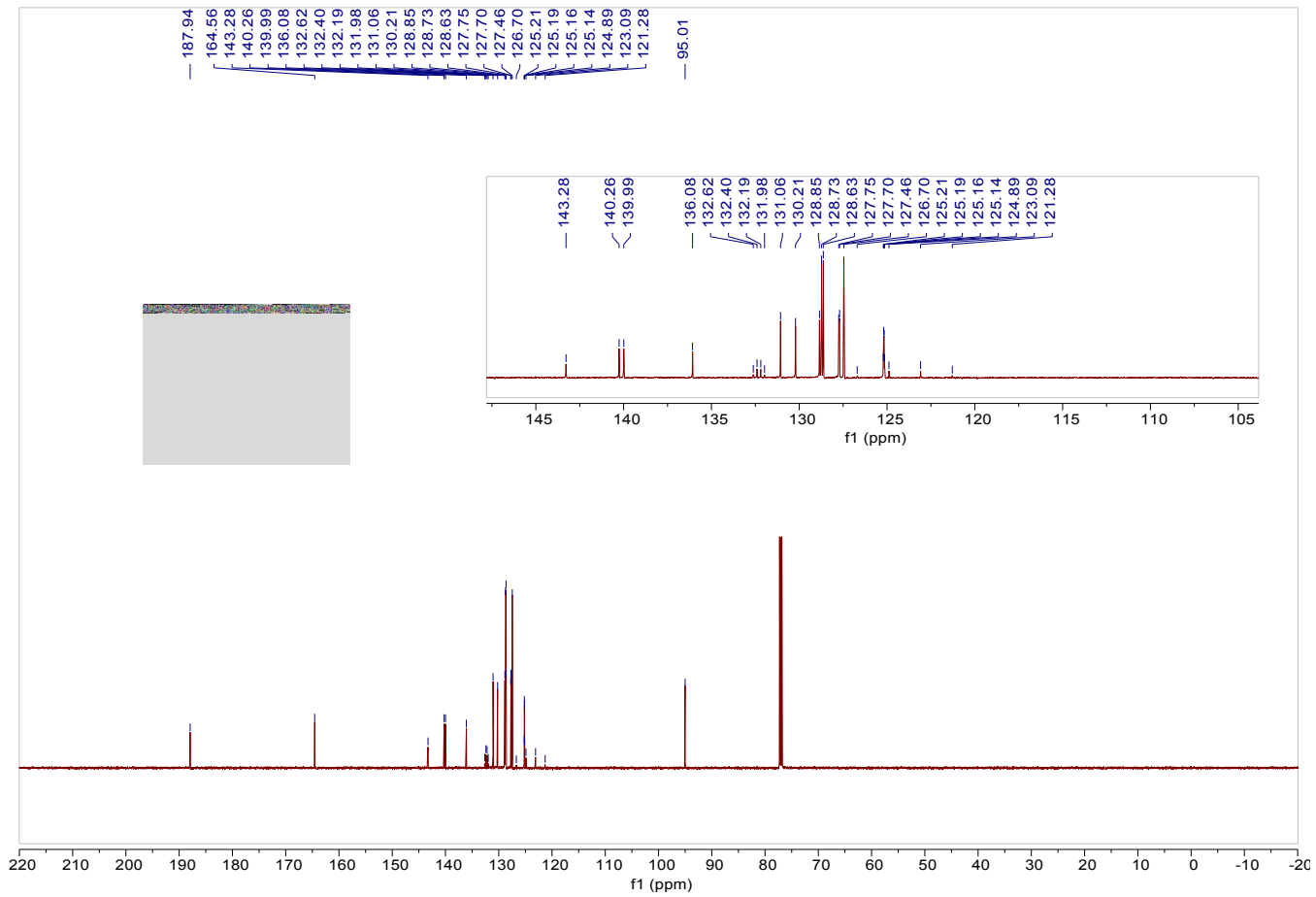


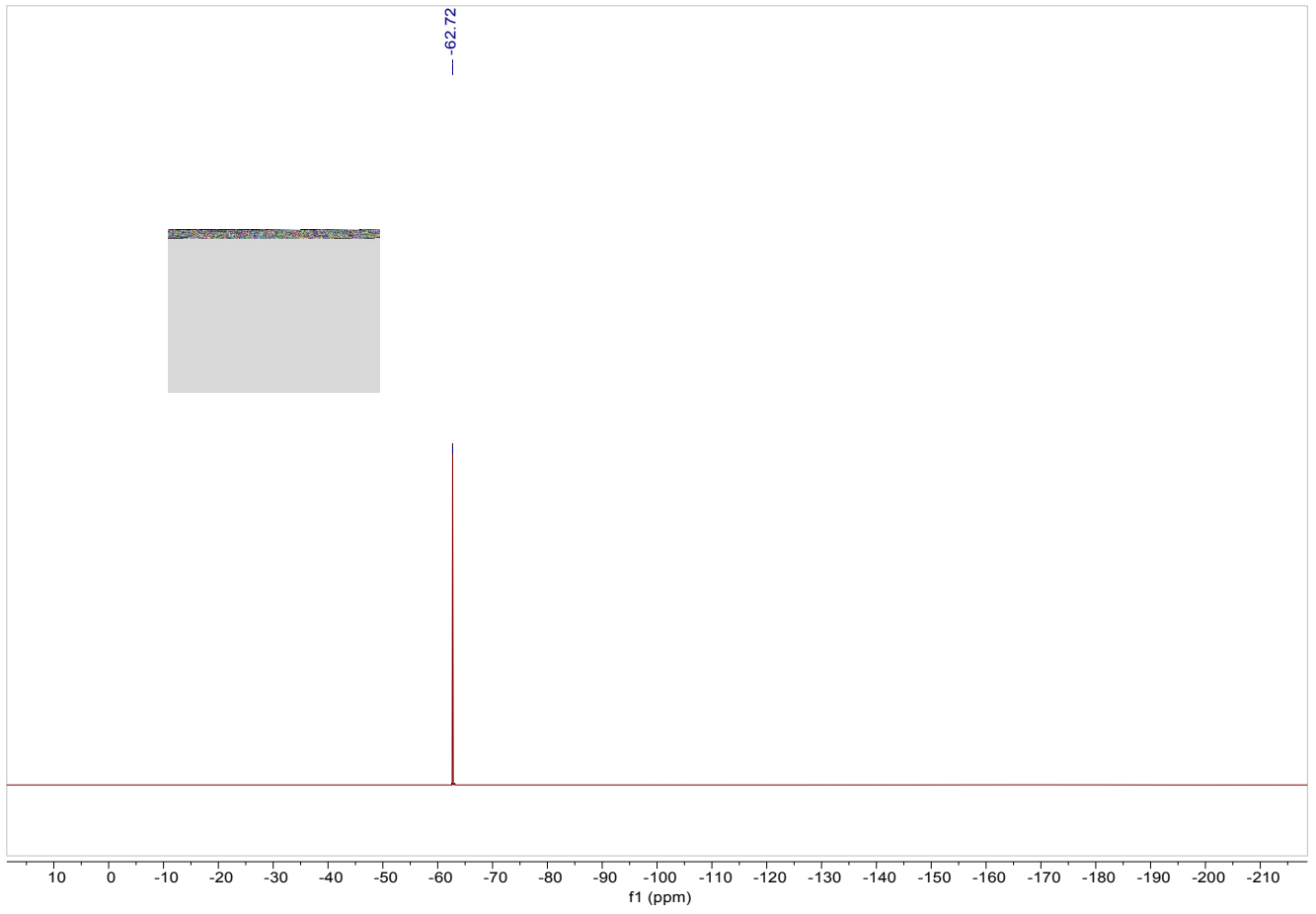


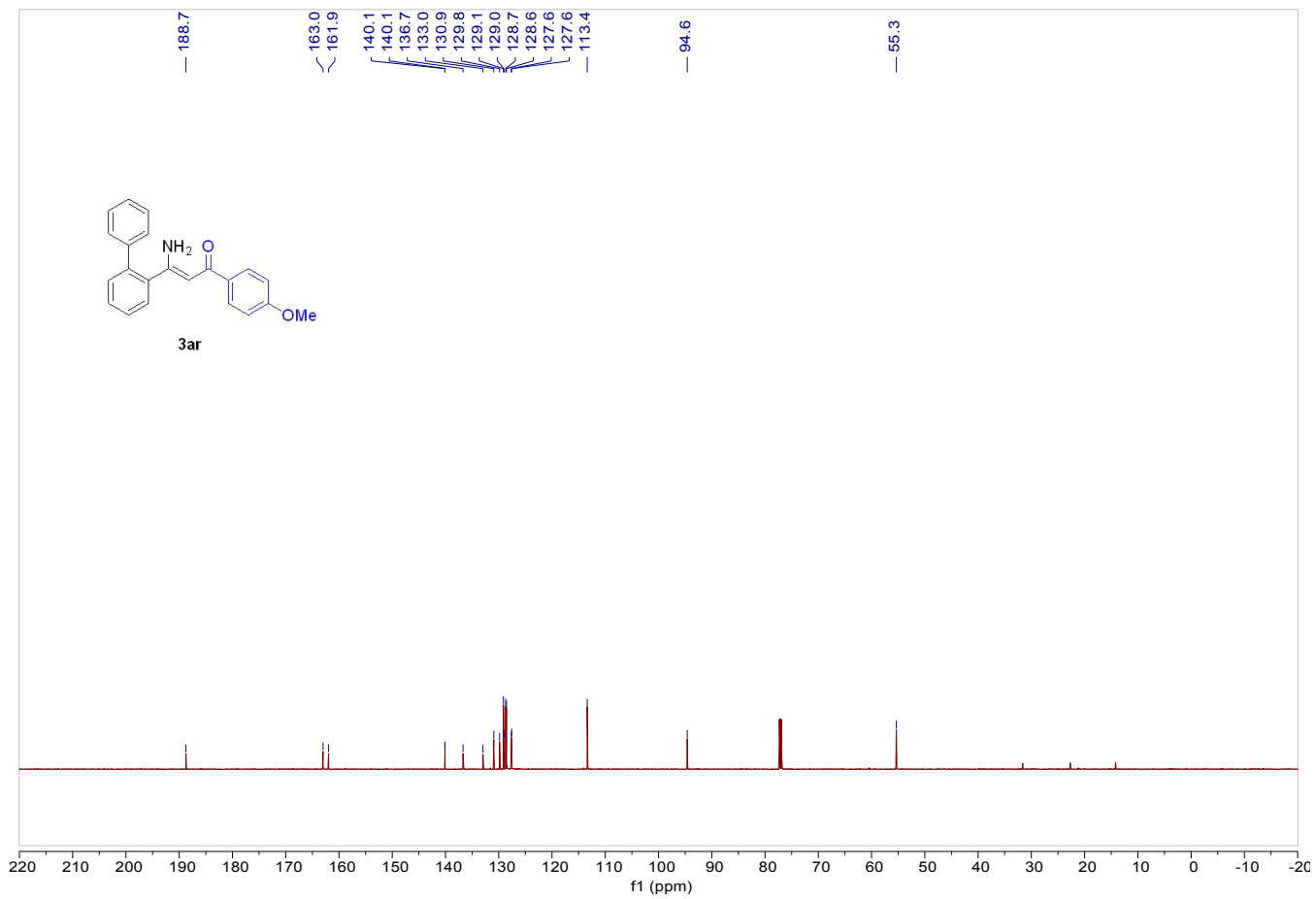


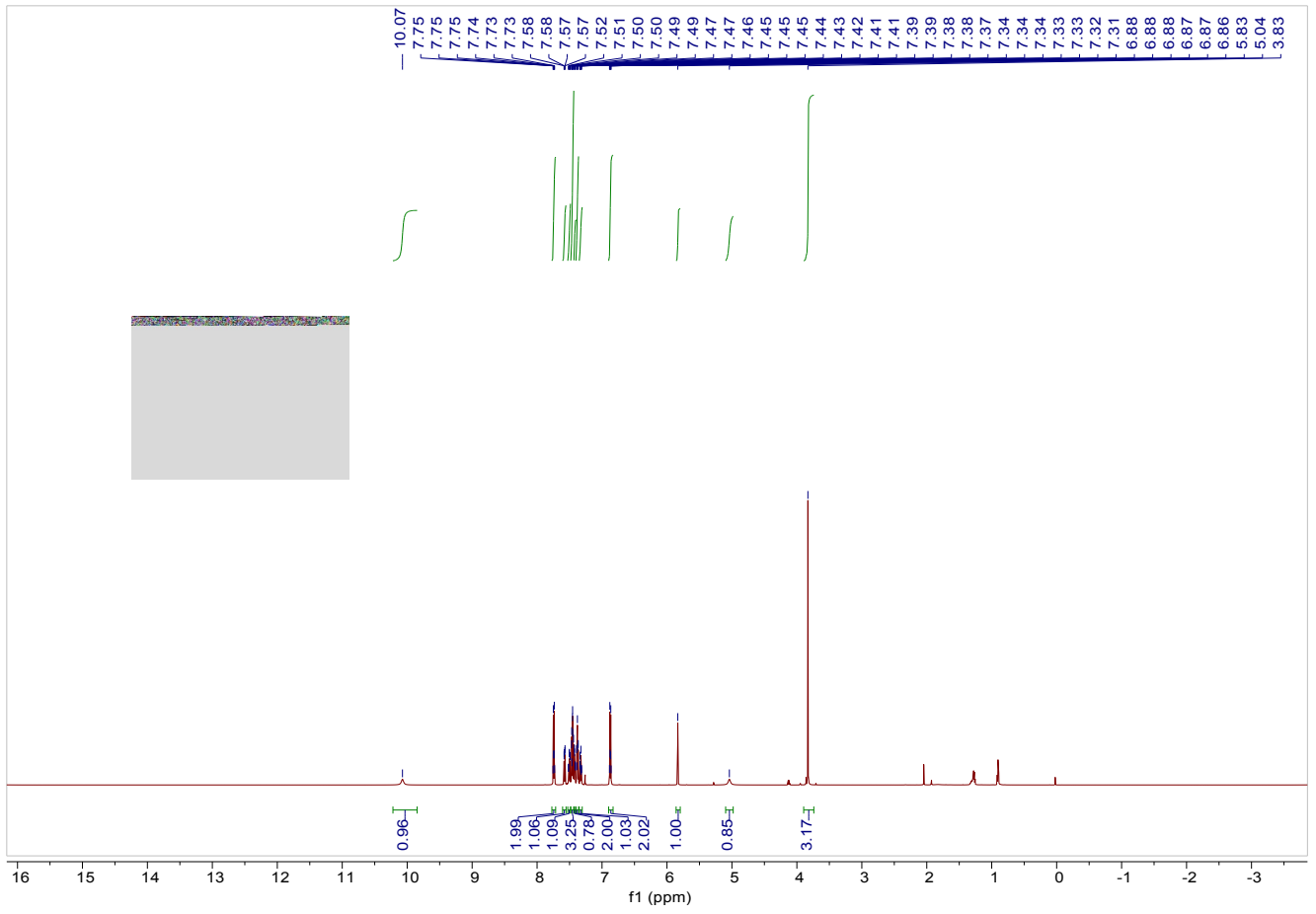


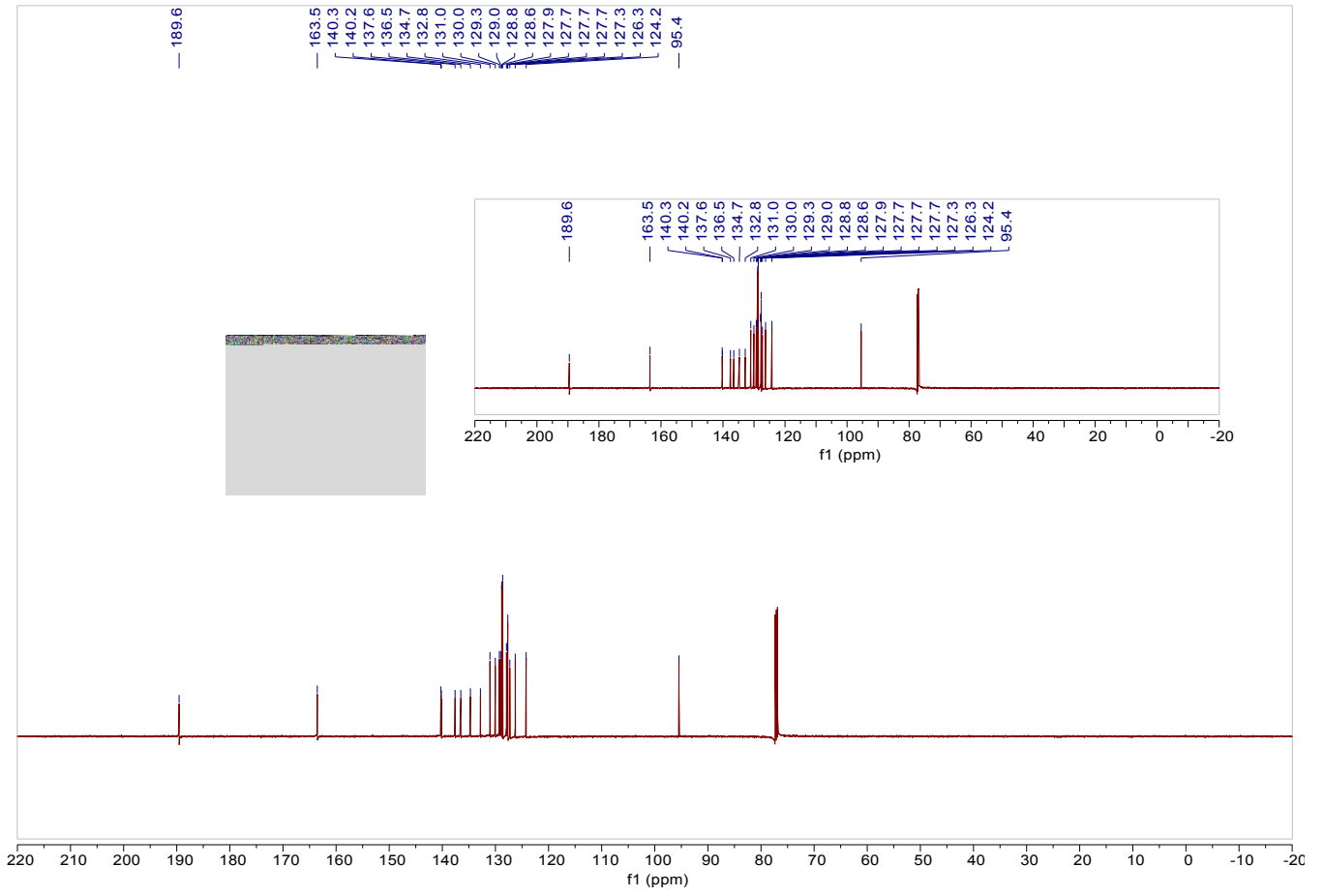


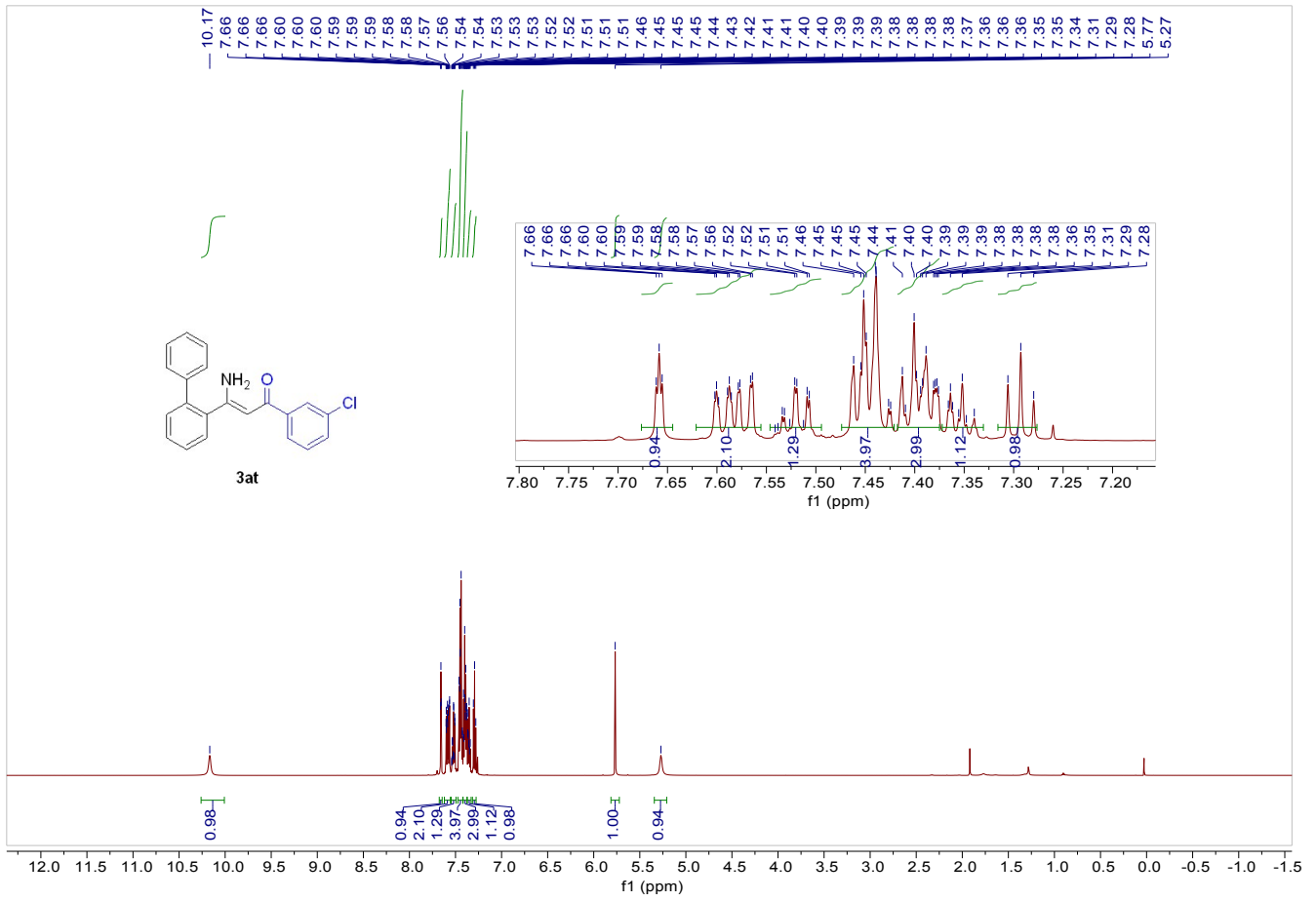


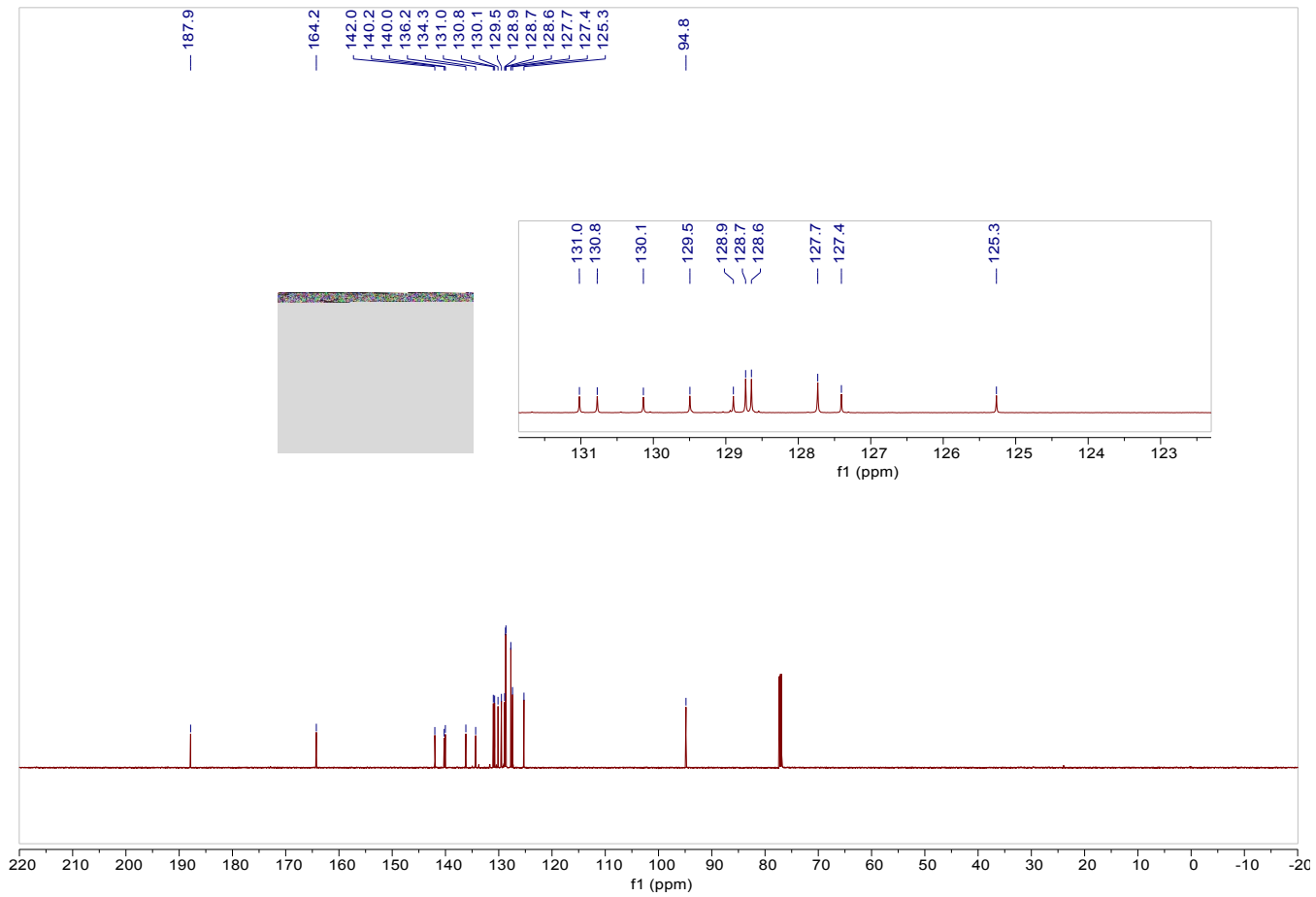


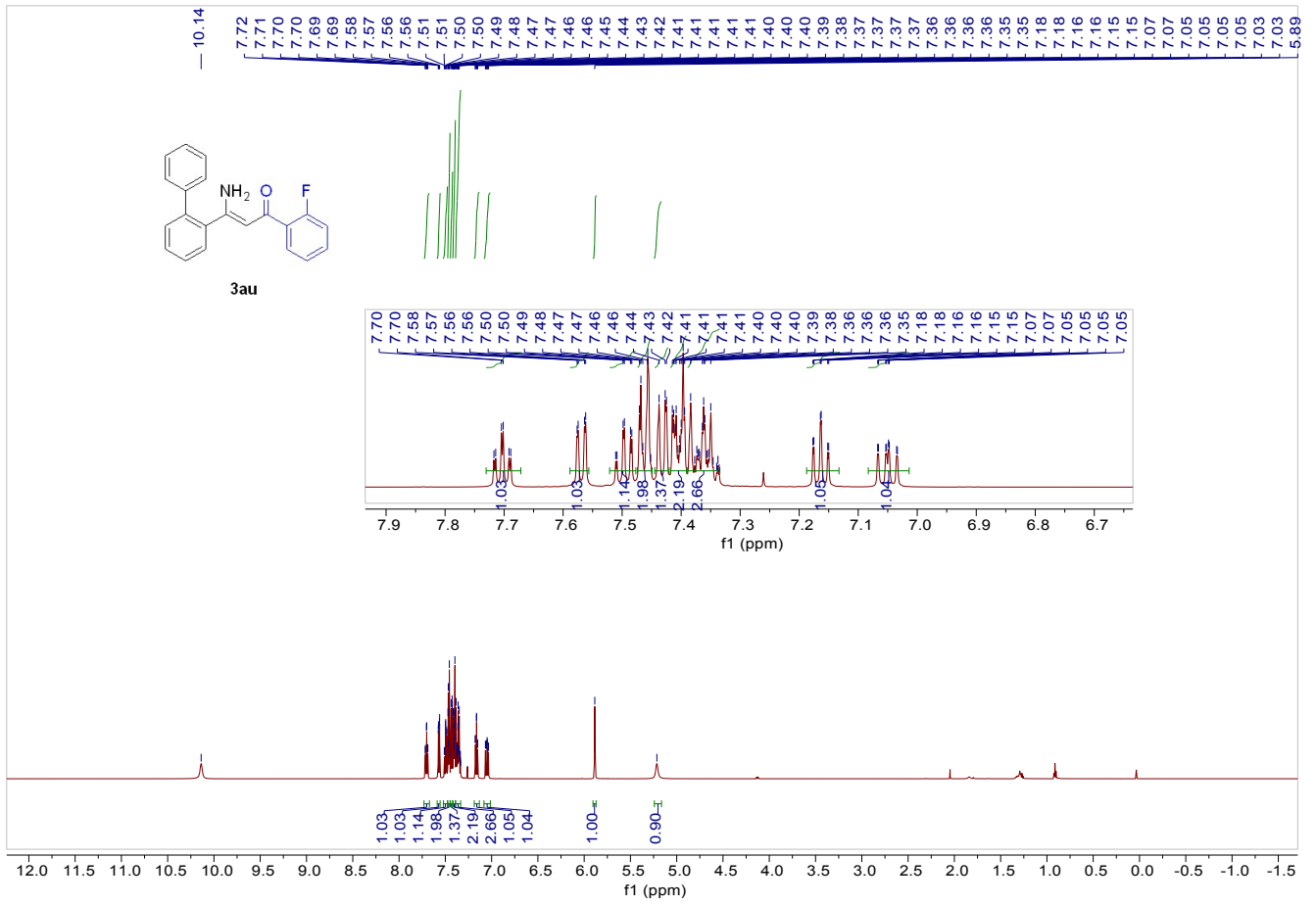


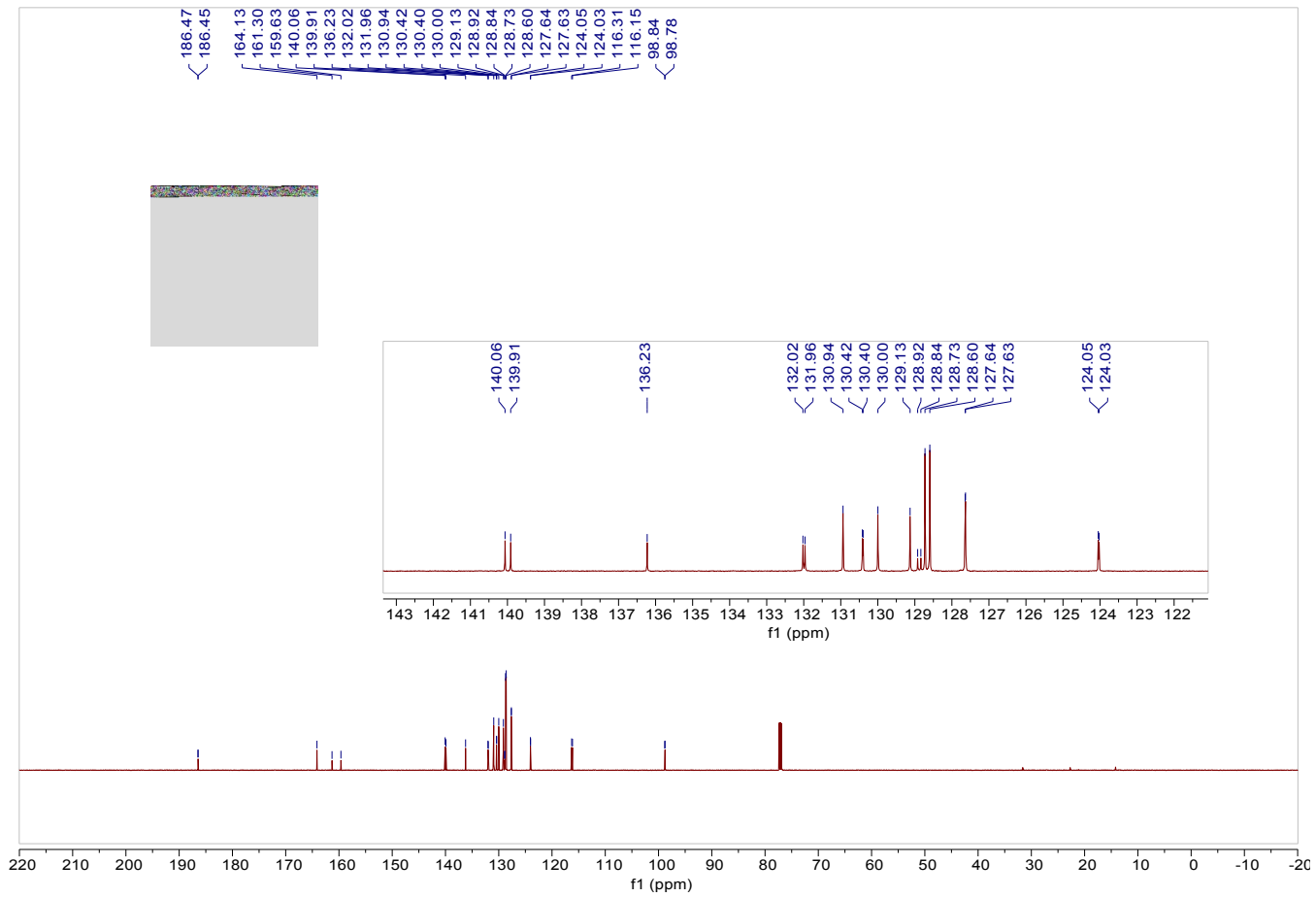


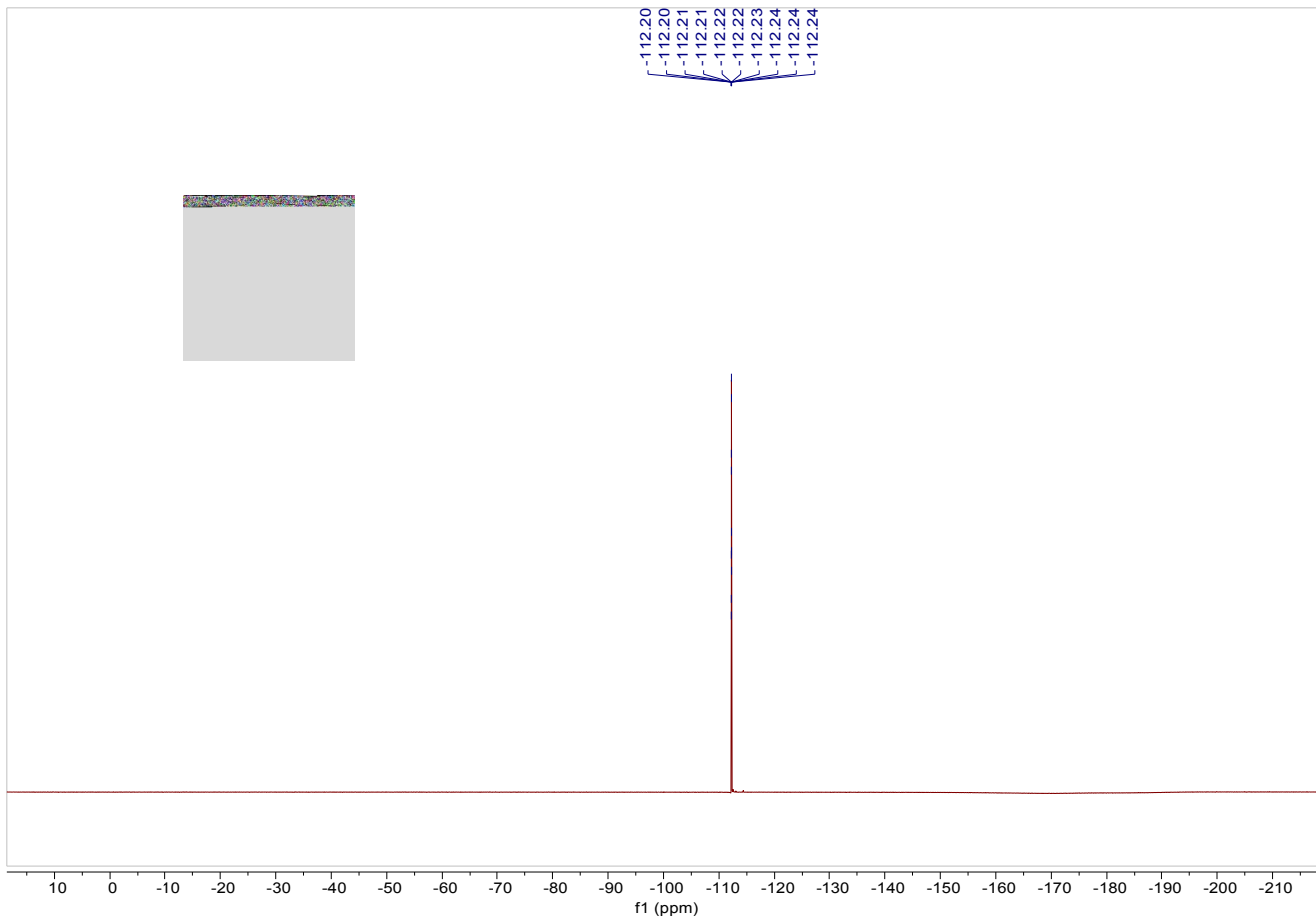


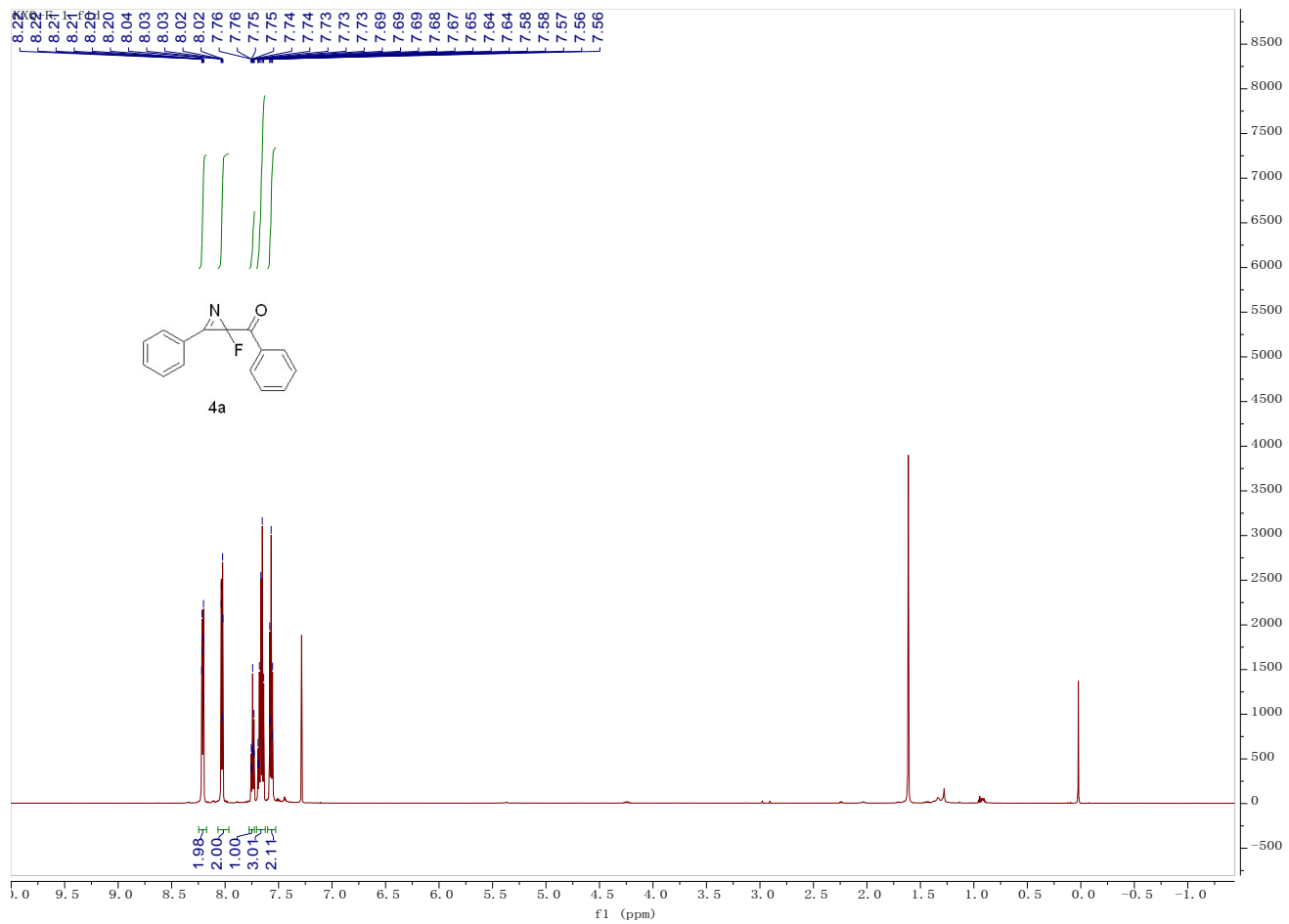


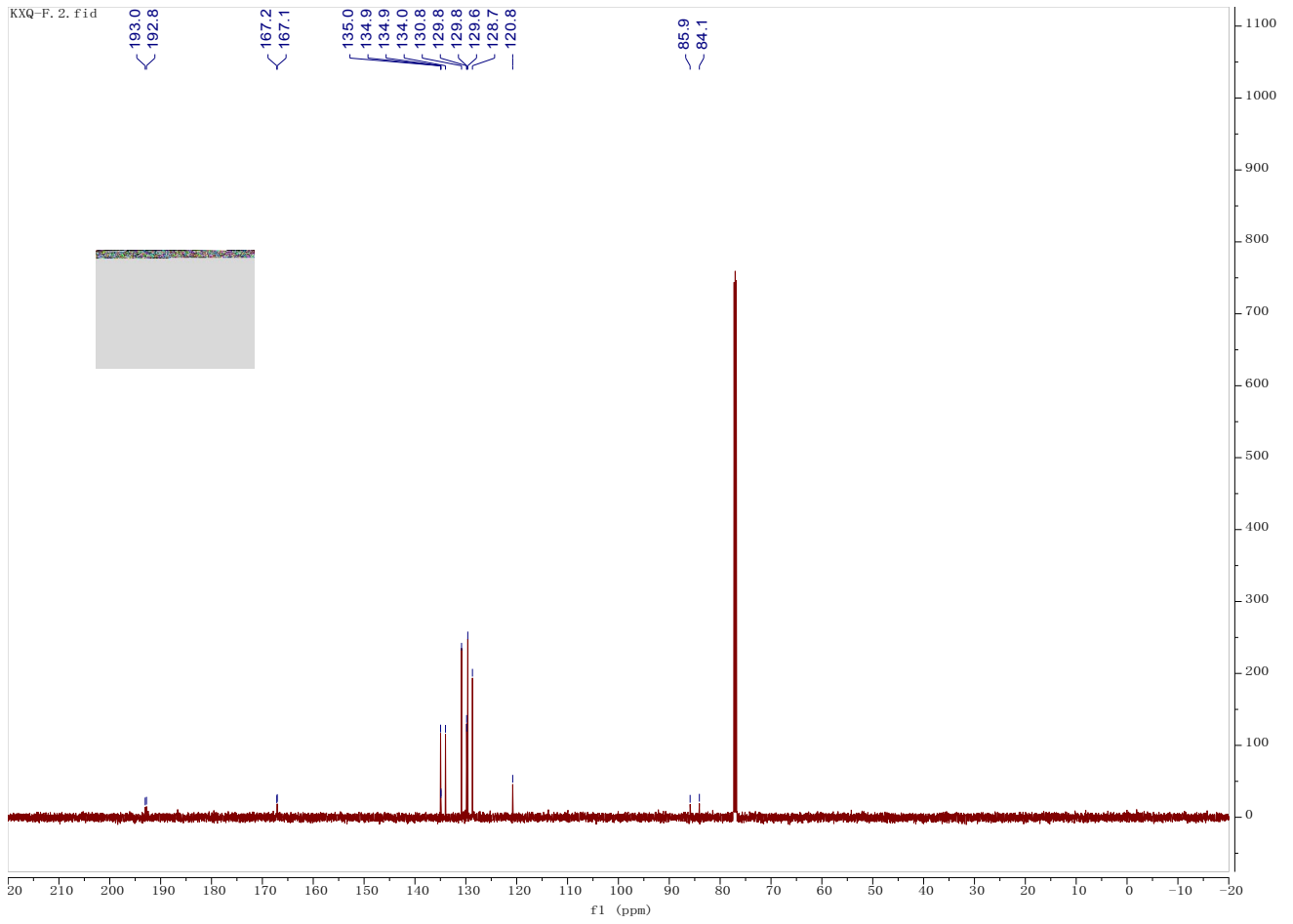


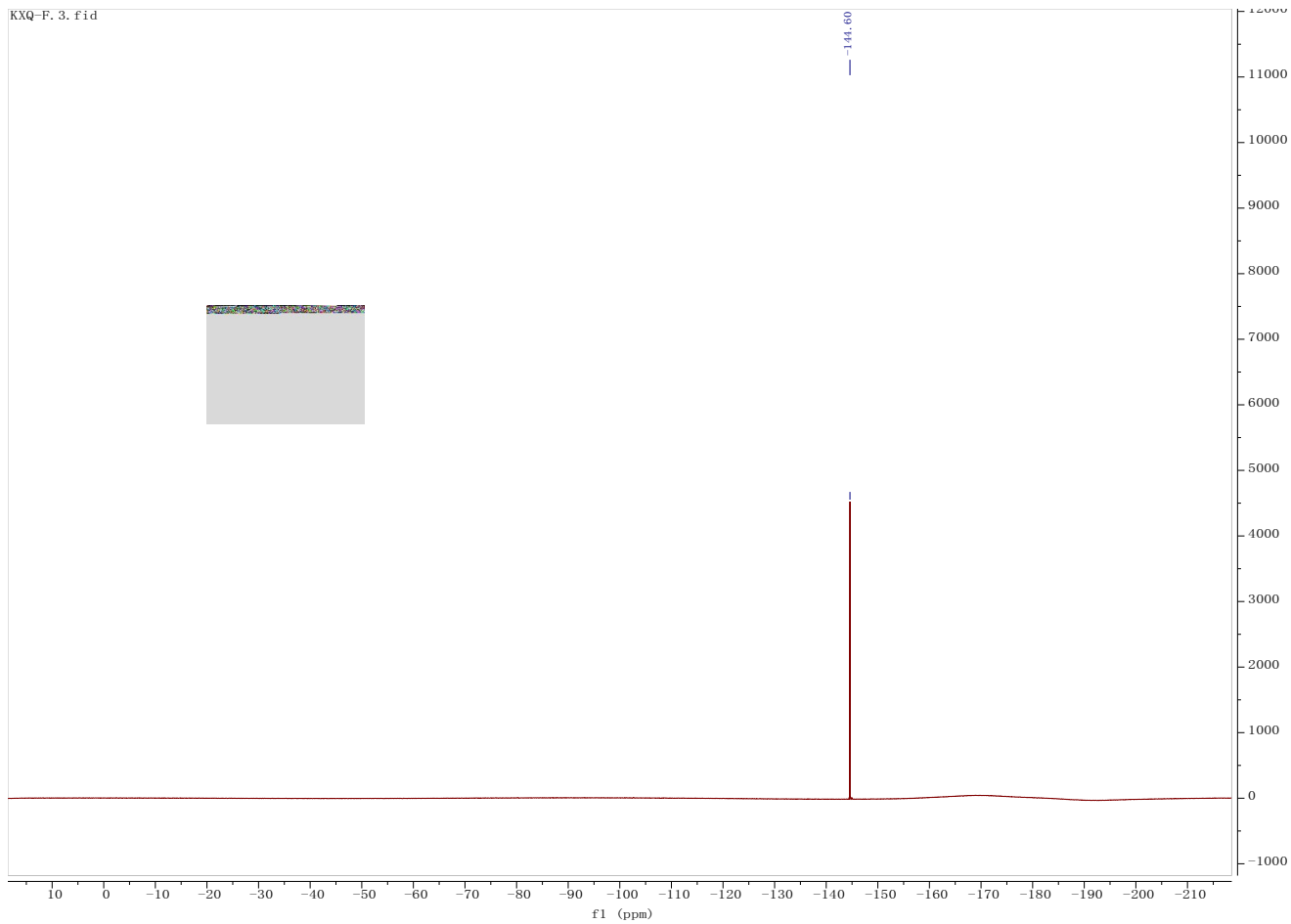


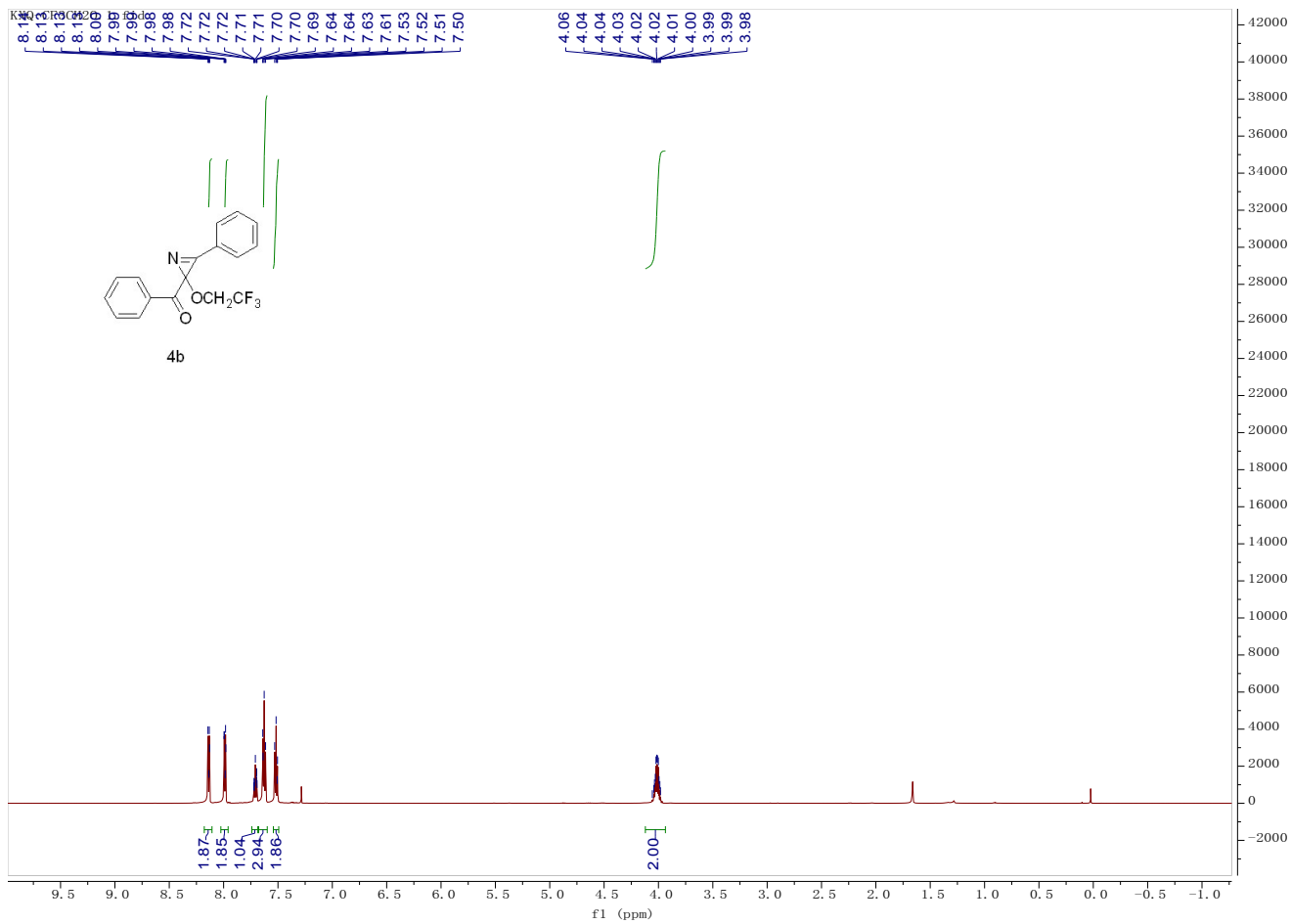


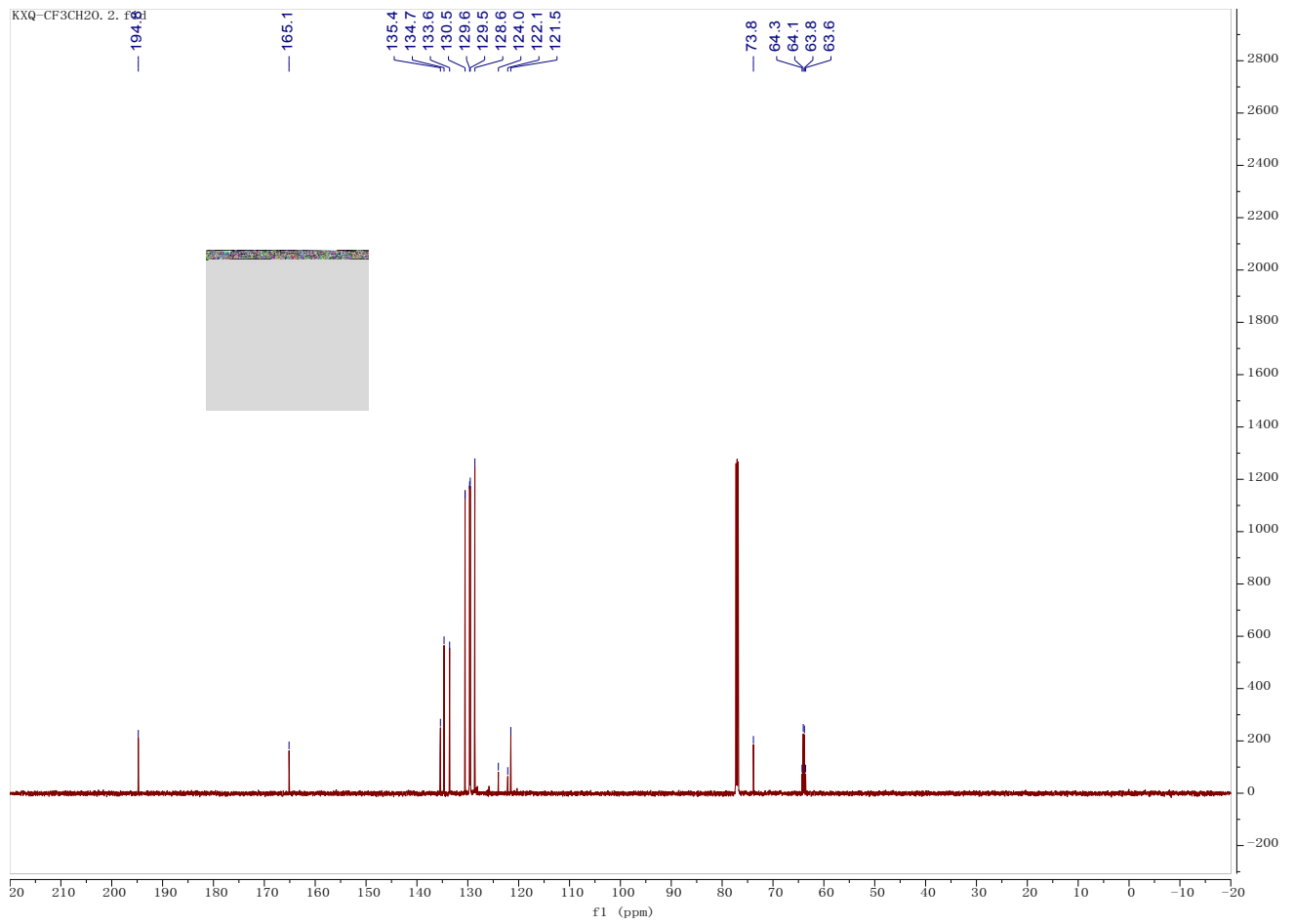












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