

## **Ruthenium-catalyzed *ortho*-arylation of acetanilides with aromatic boronic acids: an easy route to phenanthridines and carbazoles**

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### **Electronic Supplementary Information**

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## Experimental Section

### General Procedure for the Coupling of Acetanilides **1** with Aromaticboronic Acids **2** Catalyzed by Ruthenium Complex.

A 15-mL pressure tube equipped with a magnetic stirrer and septum containing acetanilide (**1**) (100 mg, if it is solid), [ $\text{RuCl}_2(p\text{-cymene})_2$ ] (0.03 equiv),  $\text{Ag}_2\text{O}$  (1.0 equiv),  $\text{Cu}(\text{OTf})_2$  (0.20 equiv) and aromaticboronic acid **2** (1.5 equiv) was evacuated and purged with nitrogen gas three times. To the tube was added  $\text{AgSbF}_6$  (0.12 mmol inside the glove box). Then, dry THF (3.0 mL) was added in the tube via syringe (If the acetanilide (**1**) is liquid, 100 mg of acetanilide (**1**) was dissolved in the dry THF (3.0 mL) and added to the tube via syringe). Then, the pressure tube was covered with a screw cap and the reaction mixture was allowed to stir at 110 °C for 20 h. After cooling to ambient temperature, the reaction mixture was diluted with  $\text{CH}_2\text{Cl}_2$ , filtered through Celite and silica gel, and the filtrate was concentrated. The crude residue was purified through a silica gel column using hexanes and ethyl acetate as eluent to give pure **3**.

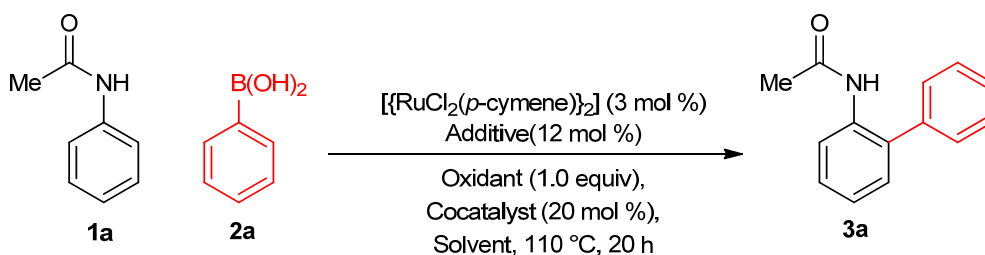
**Note:** The reaction is moisture sensitive. Dry THF should be used in order to get good conversion.

### General Procedure for the Preparation of Phenanthridines.

**Note:** For Phenanthridines synthesis, crude product **3** was taken directly without column purification. In the reaction, pure as well as crude product **3** worked equally.

To a solution of  $\text{Ph}_3\text{PO}$  (3.0 equiv) in dry  $\text{CH}_2\text{Cl}_2$  (5.0 mL), was added  $\text{Tf}_2\text{O}$  (1.5 equiv) under the nitrogen atmosphere at 0 °C. After 15 min, the above crude arylated anilides **3** (1.00 mmol) was dissolved in  $\text{CH}_2\text{Cl}_2$  (2.0 mL) and added to the solution via syringe. The reaction was then warmed to r.t. and stirred until the complete completion (approx. 3 h). After completion, the reaction mixture was quenched by addition of sat. aq.  $\text{NaHCO}_3$ . The mixture was extracted with  $\text{CH}_2\text{Cl}_2$  (3 × 15 mL). The combined extracts were washed with brine, dried anhydrous  $\text{Na}_2\text{SO}_4$  and concentrated it under the reduced pressure. The crude product was purified by column chromatography on silica gel using a mixture of hexanes and EtOAc as eluent to afford phenanthridine derivatives **4**.

### Optimization Studies<sup>a</sup>



Entry	Solvent	Oxidant (1.0 equiv)	Additive (12 mol %)	Co-catalyst (20 mol %)	Yield of <b>3a</b> (%) <sup>b</sup>
1	THF	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	71
2	MeOH	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	nr
3	AcOH	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	nr
4	Toluene	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	nr
5	DCE	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	nr
6	DME	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	nr
7	DMF	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	--	nr
8	THF	AgOTf	AgSbF <sub>6</sub>	--	15
9	THF	AgOAc	AgSbF <sub>6</sub>	--	10
10	THF	AgF	AgSbF <sub>6</sub>	--	5
11	THF	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	AgSbF <sub>6</sub>	--	nr
12	THF	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	AgSbF <sub>6</sub>	--	nr
13	THF	oxone	AgSbF <sub>6</sub>	--	nr
14	THF	Cu(OAc) <sub>2</sub>	AgSbF <sub>6</sub>		nr
15	THF	Ag <sub>2</sub> O	AgBF <sub>4</sub>		60
16	THF	Ag <sub>2</sub> O	AgOTf		55
17	THF	Ag <sub>2</sub> O	KPF <sub>6</sub>		nr
18	THF	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	Cu(OTf) <sub>2</sub> (1.0 equiv)	82
19	THF	Ag <sub>2</sub> O	AgSbF <sub>6</sub>	Cu(OTf) <sub>2</sub>	83
20	THF	Ag <sub>2</sub> O	-	Cu(OTf) <sub>2</sub>	68

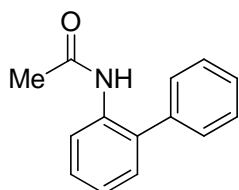
<sup>a</sup>All reactions were carried out using **1a** (100 mg), phenylboronic acid (**2a**) (1.5 equiv), [ $\{\text{RuCl}_2(p\text{-cymene})\}_2$ ] (0.03 equiv),  $\text{AgSbF}_6$  (0.12 equiv),  $\text{Ag}_2\text{O}$  (1.0 equiv) and  $\text{Cu}(\text{OTf})_2$  (0.20 equiv) in THF (3.0 mL) at 110 °C for 20 h. <sup>b</sup>GC yield

To optimize the arylation reaction, various additives, solvents and oxidants were examined in the reaction of **1a** with **2a** in the presence of [ $\{\text{RuCl}_2(p\text{-cymene})\}_2$ ] (3 mol %) at 110 °C for 20 h. First, the catalytic reaction was tested with various solvents such as THF, MeOH, AcOH, Toluene, DCE, DME, and DMF in the presence of catalyst,  $\text{AgSbF}_6$  (12 mol %) and  $\text{Ag}_2\text{O}$  (1.0 equiv). Among them, THF solvent was the best, providing coupling product **3a** in 71% GC yield. The remaining solvents were totally ineffective. Next, the catalytic reaction was tested with various oxidants such as  $\text{Ag}_2\text{O}$ ,  $\text{AgOTf}$ ,  $\text{AgOAc}$ ,  $\text{AgF}$ ,  $\text{K}_2\text{S}_2\text{O}_8$ ,  $(\text{NH}_4)_2\text{S}_2\text{O}_8$ , oxone and  $\text{Cu}(\text{OAc})_2$ . Among them,  $\text{Ag}_2\text{O}$  was very effective, giving **3a** in 71% GC yield.  $\text{AgOTf}$ ,  $\text{AgOAc}$  and  $\text{AgF}$  were less effective, giving **3a** in 15, 10, and 5% GC yields, respectively. Remaining oxidants were totally ineffective. A variety of additives such as  $\text{AgSbF}_6$ ,  $\text{AgBF}_4$ ,  $\text{AgOTf}$  and  $\text{KPF}_6$  were also tested. Among them,  $\text{AgSbF}_6$  was very effective, giving **3a** in 71% GC yield.  $\text{AgBF}_4$  and  $\text{AgOTf}$  were moderately effective, giving **3a** in 60% and 55% GC yields, respectively. But,  $\text{KPF}_6$  was totally ineffective. Further, the reaction was tested with 1.0 equiv and 20 mol % of  $\text{Cu}(\text{OTf})_2$ . In the reaction, **3a** was observed 82 and 83% GC yields, respectively. It is believed that  $\text{Cu}(\text{OTf})_2$  increases the rate of C-H bond activation and stabilizes the active catalyst. The catalytic reaction was also tested without  $\text{AgSbF}_6$ , only with  $\text{Ag}_2\text{O}$  (1.0 equiv) and  $\text{Cu}(\text{OTf})_2$  (20 mol %). In the reaction, **3a** was observed in 68% GC yield.

Spectral data and copies of  $^1\text{H}$ ,  $^{13}\text{C}$  and DEPT NMR spectra of all compounds **3a-z**, **4a-h** and **5a-d** are listed below.

## Spectral Data of Compounds 3a-z, 4a-h and 5a-d

### *N*-([1,1'-biphenyl]-2-yl)acetamide (3a).



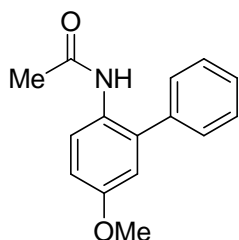
Colorless solid; R<sub>f</sub> value: 0.3 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.26 (d, *J* = 8.0 Hz, 1 H), 7.49 (t, *J* = 8.0 Hz, 2 H), 7.44 – 7.35 (m, 4 H), 7.25 (d, *J* = 8.0 Hz, 1 H), 7.18 (t, *J* = 8.0 Hz, 1 H), 7.14 (bs, 1 H), 2.02 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.2, 138.1, 134.6, 132.1, 130.0, 129.2, 129.1, 128.4, 127.9, 124.3, 121.6, 24.6.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>13</sub>NO)H] (M+H) 212.1075, measured 212.1073.

### *N*-(5-Methoxy-[1,1'-biphenyl]-2-yl)acetamide (3b).



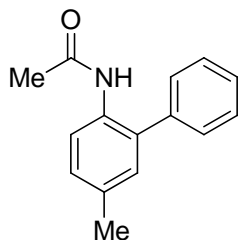
Colorless solid; R<sub>f</sub> value: 0.33 in 50% ethyl acetate in hexanes; eluent (50% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.00 (d, *J* = 8.0 Hz, 1 H), 7.48 (t, *J* = 8.0 Hz, 2 H), 7.42 (d, *J* = 8.0 Hz, 1 H), 7.37 (d, *J* = 8.0 Hz, 2 H), 6.98 (bs, 1 H), 6.91 (dd, *J* = 8.0, 4.0 Hz, 1 H), 6.81 (s, 1 H), 3.81 (s, 3 H), 2.00 (s, 3 H).

**$^{13}\text{C}$  NMR (CDCl<sub>3</sub>, 100 MHz):**  $\delta$  168.4, 156.4, 138.2, 134.7, 129.0, 128.9, 127.9, 127.6, 124.3, 115.4, 113.4, 55.5, 24.2.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>15</sub>NO<sub>2</sub>)H] (M+H) 242.1181, measured 242.1184.

***N*-(5-Methyl-[1,1'-biphenyl]-2-yl)acetamide (3c).**



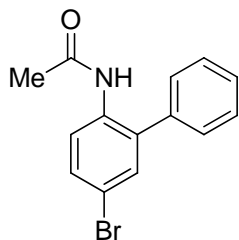
Colorless solid; R<sub>f</sub> value: 0.33 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**$^1\text{H}$  NMR (CDCl<sub>3</sub>, 400 MHz):**  $\delta$  8.09 (d,  $J$  = 8.0 Hz, 1 H), 7.48 (t,  $J$  = 8.0 Hz, 2 H), 7.42 (d,  $J$  = 8.0 Hz, 1 H), 7.37 (d,  $J$  = 8.0 Hz, 2 H), 7.18 (d,  $J$  = 8.0 Hz, 1 H), 7.07 (s, 2 H), 2.36 (s, 3 H), 2.02 (s, 3 H).

**$^{13}\text{C}$  NMR (CDCl<sub>3</sub>, 100 MHz):**  $\delta$  168.3, 138.3, 134.1, 132.5, 132.0, 130.6, 129.1, 128.9, 128.9, 127.8, 122.0, 24.4, 20.8.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>15</sub>NO)H] (M+H) 226.1232, measured 226.1235.

***N*-(5-Bromo-[1,1'-biphenyl]-2-yl)acetamide (3d).**



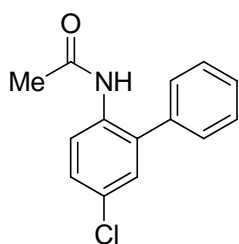
Colorless solid; R<sub>f</sub> value: 0.34 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.16 (d, *J* = 8.0 Hz, 1 H), 7.48 – 7.40 (m, 4 H), 7.34 – 7.30 (m, 3 H), 7.08 (bs, 1 H), 1.97 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.2, 136.6, 133.8, 132.6, 131.2, 129.2, 129.0, 128.5, 122.9, 116.9, 24.6.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>12</sub>BrNO)H] (M+H) 290.0181, measured 290.0182.

***N*-(5-Chloro-[1,1'-biphenyl]-2-yl)acetamide (3e).**



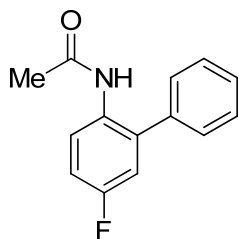
Colorless solid; R<sub>f</sub> value: 0.33 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.25 (d, *J* = 8.0 Hz, 1 H), 7.53 – 7.45 (m, 3 H), 7.37 – 7.32 (m, 3 H), 7.24 (s, 1 H), 7.11 (bs, 1 H), 2.02 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.2, 136.8, 133.6, 133.3, 129.8, 129.3, 129.0, 128.5, 128.2, 127.6, 122.7, 24.6.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>12</sub>ClNO)H] (M+H) 246.0686, measured 246.0681.

***N*-(5-Fluoro-[1,1'-biphenyl]-2-yl)acetamide (3f).**



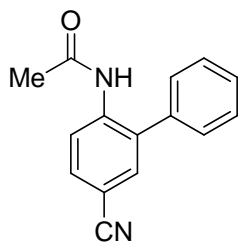
Colorless solid; Rf value: 0.29 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.14 (s, 1 H), 7.52 – 7.42 (m, 3 H), 7.36 (d, *J* = 8.0 Hz, 2 H), 7.06 (t, *J* = 8.0 Hz, 2 H), 7.36 (dd, *J* = 8.0, 4.0 Hz, 1 H), 2.02 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 160.4, 158.0, 137.1, 130.7, 129.1, 129.0, 128.3, 124.1, 124.0 (due to F-coupling), 116.7 and 116.5 (due to F-coupling), 114.9 and 114.7 (due to F-coupling), 24.4.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>12</sub>FNO)H] (M+H) 230.0981, measured 230.0980.

***N*-(5-Cyano-[1,1'-biphenyl]-2-yl)acetamide (3g).**



Colorless solid; Rf value: 0.25 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

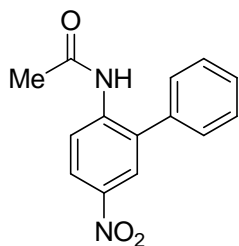
**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.57 (d, *J* = 8.0 Hz 1 H), 7.65 (d, *J* = 8.0 Hz 1 H), 7.57 – 7.50 (m, 4 H), 8.35 (d, *J* = 8.0 Hz 3 H), 2.06 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.4, 138.9, 135.6, 133.7, 132.5, 131.9, 129.6, 129.0, 128.9, 120.7, 118.7, 107.0, 24.7.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>12</sub>N<sub>2</sub>O)H] (M+H) 237.1028, measured 237.1025.



***N*-(5-Nitro-[1,1'-biphenyl]-2-yl)acetamide (3h).**



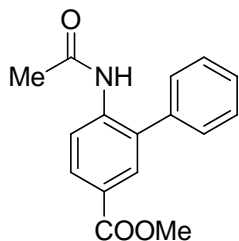
Colorless solid; R<sub>f</sub> value: 0.3 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.65 (d, *J* = 8.0 Hz 1 H), 8.24 (dd, *J* = 8.0, 4.0 Hz, 1 H), 8.14 (s, 1 H), 7.59 – 7.52 (m, 3 H), 7.46 (bs, 1 H), 7.40 (d, *J* = 8.0 Hz, 2 H), 2.08. (s, 3 H),

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.4, 148.6, 141.3, 141.0, 137.9, 130.2, 129.1, 129.0, 128.5, 125.1, 122.4, 26.8.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>12</sub>N<sub>2</sub>O<sub>3</sub>)H] (M+H) 257.0926, measured 257.0924.

**Methyl 6-acetamido-[1,1'-biphenyl]-3-carboxylate. (3i).**



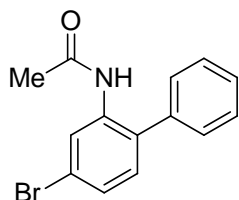
Colorless solid; R<sub>f</sub> value: 0.2 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.49 (d, *J* = 8.0 Hz 1 H), 8.03 (dd, *J* = 8.0, 4.0 Hz 1 H), 7.92 (s, 1 H), 7.54 (t, *J* = 8.0 Hz, 2 H), 7.46 (t, *J* = 8.0 Hz 1 H), 8.49 (dd, *J* = 8.0, 4.0 Hz, 2 H), 7.36 (bs, 1 H), 3.90 (s, 3 H), 2.05 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 166.5, 138.9, 137.0, 131.5, 131.1, 130.0, 129.6, 129.2, 128.5, 135.3, 120.0, 52.0, 24.8.

**HRMS (ESI):** calc. for [(C<sub>16</sub>H<sub>15</sub>NO<sub>3</sub>)H] (M+H) 270.1130, measured 270.1133.

***N*-(4-Bromo-[1,1'-biphenyl]-2-yl)acetamide (3j).**



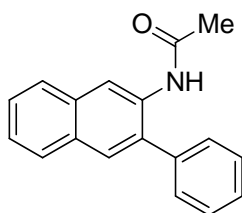
Colorless solid; R<sub>f</sub> value: 0.33 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.53 (s, 1 H), 7.50 (t, *J* = 8.0 Hz, 2 H), 7.44 (t, *J* = 8.0 Hz, 1 H), 7.34 (d, *J* = 8.0 Hz, 2 H), 7.30 (d, *J* = 8.0 Hz, 1 H), 7.17 (s, 1 H), 7.10 (d, *J* = 8.0 Hz, 1 H), 2.02 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.2, 137.0, 135.8, 131.1, 130.6, 129.2, 129.0, 128.3, 127.2, 124.0, 122.0, 24.6.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>12</sub>BrNO)H] (M+H) 290.0181, measured 290.0182.

***N*-(3-Phenyl-naphthalen-2-yl)acetamide (3k).**



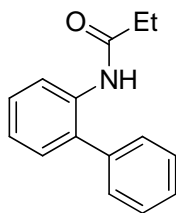
Colorless solid; R<sub>f</sub> value: 0.34 in 25% ethyl acetate in hexanes; eluent (25% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.84 (s, 1 H), 7.88 (d, *J* = 8.0 Hz, 1 H), 7.78 (d, *J* = 8.0 Hz, 1 H), 7.72 (s, 1 H), 7.56 – 7.41 (m, 7 H), 7.30 (bs, 1 H), 2.07 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 137.9, 133.6, 132.5, 132.0, 130.2, 129.4, 129.1, 128.2, 127.7, 127.4, 126.5, 125.4, 118.0, 24.8.

**HRMS (ESI):** calc. for [(C<sub>18</sub>H<sub>15</sub>NO)H] (M+H) 262.1232, measured 262.1230.

***N*-([1,1'-biphenyl]-2-yl)propionamide (3l).**



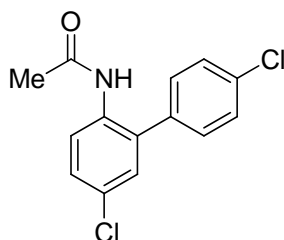
Colorless solid; R<sub>f</sub> value: 0.3 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.32 (d, *J* = 8.0 Hz, 1 H), 7.50 (t, *J* = 8.0, 4.0 Hz, 2 H), 7.43 (d, *J* = 8.0 Hz, 1 H), 7.39-7.35 (m, 3 H), 7.25 (d, *J* = 8.0 Hz, 1 H), 7.20-7.16 (m, 2 H), 2.24 (q, *J* = 8.0 Hz, 2 H), 1.12 (t, *J* = 8.0 Hz, 3 H)

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 171.8, 138.1, 134.7, 132.0, 129.9, 129.2, 129.0, 128.4, 127.9, 124.1, 121.4, 30.8, 9.5.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>15</sub>NO)H] (M+H) 226.1232, measured 226.1233.

***N*-([4,5-dichloro-1,1'-biphenyl]-2-yl)acetamide (3o).**



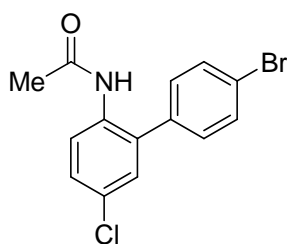
Colorless solid; R<sub>f</sub> value: 0.33 in 30% ethyl acetate in hexanes; (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.19 (d, *J* = 8.0 Hz, 1 H), 7.48 (d, *J* = 8.0 Hz, 2 H), 7.34 (d, *J* = 8.0 Hz, 1 H), 7.30 (d, *J* = 8.0 Hz, 2 H), 7.21 (s, 1 H), 6.98 (bs, 1 H), 2.01 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 135.3, 134.7, 133.2, 132.7, 130.4, 129.7, 129.5, 128.6, 123.4, 24.5.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>11</sub>Cl<sub>2</sub>NO)H] (M+H) 280.0296, measured 280.0293.

***N*-(4'-Bromo-5-chloro-[1,1'-biphenyl]-2-yl)acetamide (3p).**



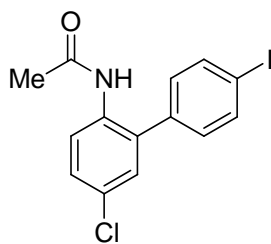
Colorless solid; R<sub>f</sub> value: 0.34 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.14 (d, *J* = 8.0 Hz, 1 H), 7.62 (d, *J* = 8.0 Hz, 2 H), 7.33 (dd, *J* = 8.0, 4.0 Hz, 1 H), 7.23 (d, *J* = 8.0 Hz, 2 H), 7.20 (s, 1 H), 7.03 (bs, 1 H), 2.03 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 135.7, 133.1, 132.8, 132.4, 130.6, 129.6, 128.6, 123.5, 122.8, 24.4.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>11</sub>ClBrNO)H] (M+H) 323.9791, measured 323.9794.

***N*-(5-Chloro-4'-iodo-[1,1'-biphenyl]-2-yl)acetamide (3q).**



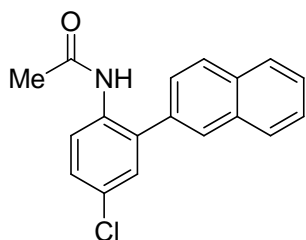
Colorless solid; Rf value: 0.35 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.15 (d, *J* = 8.0 Hz, 1 H), 7.83 (d, *J* = 8.0 Hz, 2 H), 7.33 (dd, *J* = 8.0, 4.0 Hz, 1 H), 7.20 (s, 1 H), 7.10 (d, *J* = 8.0 Hz, 2 H), 7.01 (bs, 1 H), 2.04 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 138.6, 136.3, 133.1, 132.8, 130.8, 129.6, 129.5, 128.6, 123.5, 94.5, 24.5.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>11</sub>ClINO)H] (M+H) 371.9652, measured 371.9651.

***N*-(4-Chloro-2-(naphthalen-2-yl)phenyl)acetamide (3r).**



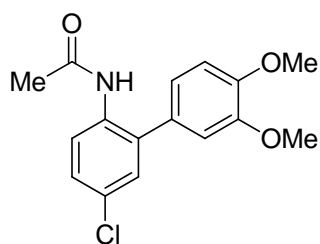
Colorless solid; Rf value: 0.39 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.27 (d, *J* = 8.0 Hz, 1 H), 7.98 (d, *J* = 8.0 Hz, 1 H), 7.94 – 7.88 (m, 2 H), 7.84 (s, 1 H), 7.60 – 7.57 (m, 2 H), 7.45 (d, *J* = 8.0 Hz, 1 H), 7.36 (d, *J* = 8.0 Hz, 1 H), 7.34 (s, 1 H), 7.18 (bs, 1 H), 1.98 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 134.2, 133.6, 133.5, 133.4, 132.1, 129.9, 129.3, 129.0, 128.34, 128.32, 128.0, 127.8, 126.9, 126.8, 126.5, 122.9, 24.5.

**HRMS (ESI):** calc. for [(C<sub>18</sub>H<sub>14</sub>ClNO)H] (M+H) 296.0842, measured 296.0842.

***N*-(5-Chloro-3',4'-dimethoxy-[1,1'-biphenyl]-2-yl)acetamide.(3s).**



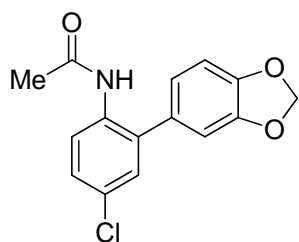
Colorless solid; R<sub>f</sub> value: 0.35 in 50% ethyl acetate in hexanes; eluent (50% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.34 (d, *J* = 8.0 Hz, 1 H), 7.39 (d, *J* = 8.0 Hz, 1 H), 7.21 (s, 2 H), 6.97 (d, *J* = 8.0 Hz, 1 H), 6.89 (d, *J* = 8.0 Hz, 1 H), 6.83 (s, 1 H), 3.94 (s, 3 H), 3.89 (s, 3 H), 2.03 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 173.2, 168.1, 149.4, 149.0, 133.5, 129.7, 129.1, 129.0, 127.9, 122.5, 121.2, 112.0, 111.5, 55.97, 55.91, 24.6.

**HRMS (ESI):** calc. for [(C<sub>16</sub>H<sub>16</sub>ClNO<sub>3</sub>)H] (M+H) 306.0897, measured 306.0894.

***N*-(2-(Benzo[*d*][1,3]dioxol-5-yl)-4-chlorophenyl)acetamide (3t).**



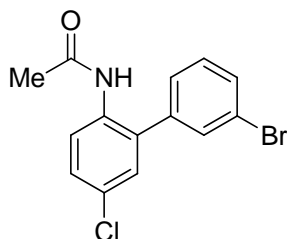
Colorless solid; R<sub>f</sub> value: 0.37 in 50% ethyl acetate in hexanes; eluent (50% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.18 (d, *J* = 8.0 Hz, 1 H), 7.26 (dd, *J* = 8.0, 4.0 Hz, 1 H), 7.16 (s, 2 H), 6.88 (d, *J* = 8.0 Hz, 1 H), 6.77 (s, 1 H), 6.76 (d, *J* = 8.0 Hz, 1 H), 6.01 (s, 2 H), 2.01 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.2, 148.3, 147.7, 133.4, 130.3, 129.8, 129.1, 128.0, 122.7, 122.5, 120.9, 109.4, 108.9, 101.4, 24.5.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>12</sub>ClNO<sub>3</sub>)H] (M+H) 290.0584, measured 290.0583.

***N*-(3'-Bromo-5-chloro-[1,1'-biphenyl]-2-yl)acetamide (3u).**



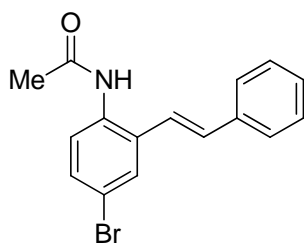
Colorless solid; R<sub>f</sub> value: 0.34 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.15 (d, *J* = 8.0 Hz, 1 H), 7.58 (d, *J* = 8.0 Hz, 1 H), 7.52 (s, 1 H), 7.39 – 7.28 (m, 3 H), 7.22 (s, 1 H), 7.05 (bs, 1 H), 2.04 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 168.3, 138.9, 133.1, 132.5, 132.1, 131.5, 130.6, 129.6, 128.7, 127.5, 123.6, 123.3, 24.4.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>11</sub>BrClNO)H] (M+H) 323.9791, measured 323.9790.

***(E)*-N-(4-bromo-2-styrylphenyl)acetamide (3v).**



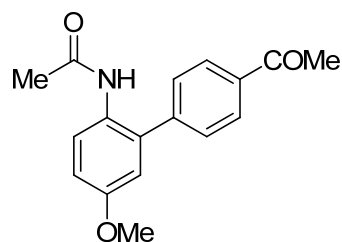
Colorless solid; R<sub>f</sub> value: 0.35 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 7.67 (d, *J* = 8.0 Hz, 1 H), 7.64 (s, 1 H), 7.49 (d, *J* = 8.0 Hz, 2 H), 7.41 – 7.31 (m, 5 H), 7.33 (dd, *J* = 16.0, 8.0 Hz, 2 H), 2.19 (s, 3 H).

**$^{13}\text{C}$  NMR ( $\text{CDCl}_3$ , 100 MHz):**  $\delta$  168.7, 136.4, 133.6, 132.2, 130.9, 129.4, 128.8, 128.5, 126.8, 125.8, 121.9, 118.7, 24.2.

**HRMS (ESI):** calc. for  $[(\text{C}_{16}\text{H}_{14}\text{BrNO})\text{H}]$  (M+H) 316.0337, measured 316.0338.

***N*-(4'-acetyl-5-methoxy-[1,1'-biphenyl]-2-yl)acetamide(3w).**



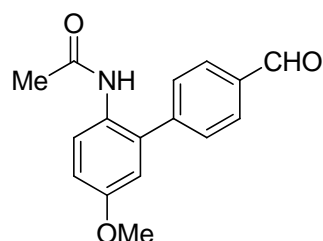
Colorless solid; R<sub>f</sub> value: 0.29 in 50% ethyl acetate in hexanes; eluent (50% ethyl acetate in hexanes).

**$^1\text{H}$  NMR ( $\text{CDCl}_3$ , 400 MHz):**  $\delta$  8.04 (d,  $J$  = 8.0 Hz, 2 H), 7.88 (d,  $J$  = 8.0, Hz, 1 H), 7.48 (d,  $J$  = 8.0 Hz, 2 H), 6.95 (dd,  $J$  = 8.0, 4.0 Hz, 1 H), 6.94 (bs, 1 H), 6.81 (s, 1 H), 3.82 (s, 3 H), 2.65 (s, 3 H), 2.02 (s, 3 H).

**$^{13}\text{C}$  NMR ( $\text{DMSO-}d_6$ , 100 MHz):**  $\delta$  197.7, 168.9, 157.4, 143.9, 137.7, 135.5, 129.5, 128.9, 128.2, 127.7, 114.8, 113.9, 55.4, 26.8, 22.8.

**HRMS (ESI):** calc. for  $[(\text{C}_{17}\text{H}_{17}\text{NO}_3)\text{H}]$  (M+H) 284.1287, measured 284.1287.

***N*-(4'-formyl-5-methoxy-[1,1'-biphenyl]-2-yl)acetamide (3x).**



Colorless semisolid; R<sub>f</sub> value: 0.28 in 50% ethyl acetate in hexanes; eluent (50% ethyl acetate in hexanes).

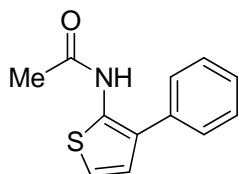


**<sup>1</sup>H NMR (DMSO-*d*<sub>6</sub>, 400 MHz):** δ 10.05 (s, 1 H), 9.30 (s, 1 H), 7.96 (d, *J* = 8.0 Hz, 2 H), 7.61 (d, *J* = 8.0, Hz, 2 H), 7.29 (d, *J* = 8.0 Hz, 1 H), 6.98 (dd, *J* = 8.0, 4.0 Hz, 1 H), 6.93 (s, 1 H), 3.79 (s, 3 H), 1.84 (s, 3 H).

**<sup>13</sup>C NMR (DMSO-*d*<sub>6</sub>, 100 MHz):** δ 192.9, 168.9, 157.4, 145.4, 137.6, 134.9, 129.5, 129.4, 127.8, 120.6, 114.8, 114.2, 55.4, 22.8.

**HRMS (ESI):** calc. for [(C<sub>16</sub>H<sub>15</sub>NO<sub>3</sub>)H] (M+H) 270.1130, measured 270.1132.

***N*-(3-Phenylthiophen-2-yl)acetamide (3y).**



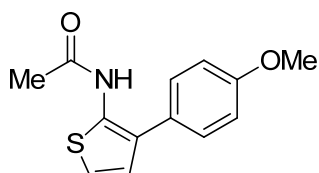
Colorless solid; R<sub>f</sub> value: 0.32 in 25% ethyl acetate in hexanes; eluent (25% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 7.96 (bs, 1 H), 7.49 (t, *J* = 8.0, Hz, 2 H), 7.41 (d, *J* = 8.0 Hz, 2 H), 7.38 (t, *J* = 8.0, Hz, 1 H), 6.96 – 6.92 (m, 2 H), 2.16 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 166.5, 135.2, 133.4, 129.4, 128.3, 127.4, 126.0, 125.7, 117.8, 23.3.

**HRMS (ESI):** calc. for [(C<sub>12</sub>H<sub>11</sub>NOS)H] (M+H) 218.0640, measured 218.0634.

***N*-(3-(4-methoxyphenyl)thiophen-2-yl)acetamide (3z).**



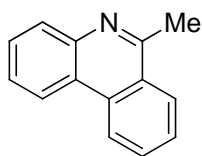
Colorless solid; R<sub>f</sub> value: 0.35 in 30% ethyl acetate in hexanes; eluent (30% ethyl acetate in hexanes).

**$^1\text{H}$  NMR (CDCl<sub>3</sub>, 400 MHz):**  $\delta$  7.85 (bs, 1 H), 7.73 (d,  $J$  = 8.0, Hz, 2 H), 7.02 (d,  $J$  = 8.0, Hz, 2 H), 6.94 (d,  $J$  = 8.0, Hz, 1 H), 6.89 (d,  $J$  = 8.0, Hz, 1 H), 3.87 (s, 3 H), 2.16 (s, 3 H).

**$^{13}\text{C}$  NMR (CDCl<sub>3</sub>, 100 MHz):**  $\delta$  166.5, 158.9, 132.9, 129.5, 127.5, 125.8, 125.8, 117.6, 114.8, 55.4, 23.4.

**HRMS (ESI):** calc. for [(C<sub>13</sub>H<sub>13</sub>NO<sub>2</sub>S)H] (M+H) 248.0745, measured 248.0744.

### 6-Methylphenanthridine (4a).



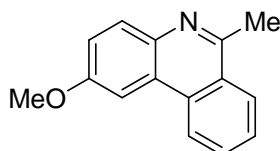
Colorless solid; R<sub>f</sub> value: 0.4 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**$^1\text{H}$  NMR (CDCl<sub>3</sub>, 400 MHz):**  $\delta$  ): 8.62 (d,  $J$  = 8.0 Hz, 1 H), 8.54 (d,  $J$  = 8.0 Hz, 1 H), 8.22 (d,  $J$  = 8.0 Hz, 1 H), 8.13 (d,  $J$  = 8.0 Hz, 1 H), 7.85 (t,  $J$  = 8.0 Hz, 1 H), 7.74 – 7.68 (m, 2 H), 7.63 (t,  $J$  = 8.0 Hz, 1 H), 3.06 (s, 3 H).

**$^{13}\text{C}$  NMR (CDCl<sub>3</sub>, 100 MHz):**  $\delta$  158.9, 143.4, 132.5, 130.6, 129.1, 128.7, 127.3, 126.6, 126.4, 125.8, 123.7, 122.3, 121.9, 23.2.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>11</sub>N)H] (M+H) 194.0970, measured 194.0972.

### 2-Methoxy-6-methylphenanthridine (4b).



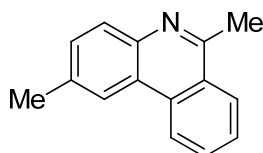
Colorless solid; R<sub>f</sub> value: 0.36 in 15% ethyl acetate in hexanes; eluent (15% ethyl acetate in hexanes).

**$^1\text{H}$  NMR (CDCl<sub>3</sub>, 400 MHz):**  $\delta$  8.56 (d,  $J$  = 8.0 Hz, 1 H), 8.22 (d,  $J$  = 8.0 Hz, 1 H), 8.11 (d,  $J$  = 8.0 Hz, 1 H), 7.87 (s, 1 H), 7.85 (t,  $J$  = 8.0 Hz, 1 H), 7.71 (t,  $J$  = 8.0 Hz, 1 H), 7.35 (dd,  $J$  = 8.0, 4.0 Hz, 1 H), 4.02 (s, 3 H), 3.06 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 158.1, 156.2, 137.9, 132.2, 130.6, 130.1, 127.6, 126.7, 125.8, 124.8, 122.4, 118.5, 103.1, 55.6, 22.6.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>13</sub>NO)H] (M+H) 224.1075, measured 224.1081.

#### 2,6-Dimethylphenanthridine (4c).



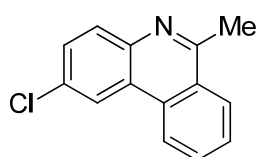
Colorless solid; R<sub>f</sub> value: 0.4 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.60 (d, *J* = 8.0 Hz, 1 H), 8.30 (s, 1 H), 8.19 (d, *J* = 8.0 Hz, 1 H), 8.00 (d, *J* = 8.0 Hz, 1 H), 7.81 (t, *J* = 8.0 Hz, 1 H), 7.67 (t, *J* = 8.0 Hz, 1 H), 7.53 (dd, *J* = 8.0, 4.0 Hz, 1 H), 3.03 (s, 3 H), 2.61 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 157.7, 141.8, 136.0, 132.25, 130.24, 130.2, 128.9, 127.0, 126.4, 125.7, 123.5, 122.2, 121.5, 23.2, 21.8.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>13</sub>N)H] (M+H) 208.1126, measured 208.1128.

#### 2-Chloro-6-methylphenanthridine(4d).



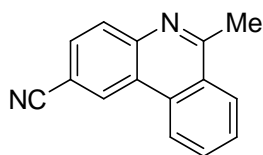
Colorless solid; R<sub>f</sub> value: 0.39 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.53 (d, *J* = 8.0 Hz, 1 H), 8.47 (s, 1 H), 8.23 (d, *J* = 8.0 Hz, 1 H), 8.04 (d, *J* = 8.0 Hz, 1 H), 7.87 (t, *J* = 8.0 Hz, 1 H), 7.74 (t, *J* = 8.0 Hz, 1 H), 7.64 (dd, *J* = 8.0, 4.0, Hz, 1 H), 3.04 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):** δ 159.2, 141.8, 132.2, 131.5, 130.8, 130.6, 129.1, 128.0, 126.6, 125.9, 124.8, 122.3, 121.6, 23.23.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>10</sub>ClN)H] (M+H) 228.0580, measured 228.0584.

### 6-methylphenanthridine-2-carbonitrile (4e).



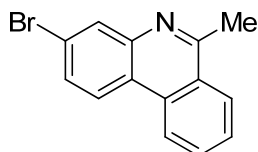
Colorless solid; R<sub>f</sub> value: 0.34 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.87 (s, 1 H), 8.59 (d, *J* = 8.0 Hz, 1 H), 8.29 (d, *J* = 8.0 Hz, 1 H), 8.16 (d, *J* = 8.0 Hz, 1 H), 7.94 (t, *J* = 8.0 Hz, 1 H), 7.90 (dd, *J* = 8.0, 4.0 Hz, 1 H), 7.81 (t, *J* = 8.0 Hz, 1 H), 3.09 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):**δ 162.5, 145.4, 131.5, 131.4, 130.6, 130.3, 128.7, 127.7, 126.9, 126.2, 123.9, 122.3, 119.2, 109.7, 23.6.

**HRMS (ESI):** calc. for [(C<sub>15</sub>H<sub>10</sub>N<sub>2</sub>)H] (M+H) 219.0922, measured 219.0923.

### 3-Bromo-6-methylphenanthridine (4f).



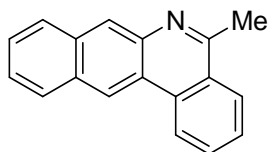
Colorless solid; R<sub>f</sub> value: 0.4 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.57 (d, *J* = 8.0 Hz, 1 H), 8.37 (dd, *J* = 8.0, 4.0 Hz, 1 H), 8.29 (s, 1 H), 8.23 (d, *J* = 8.0 Hz, 1 H), 7.87 (t, *J* = 8.0 Hz, 1 H), 7.76 - 7.69 (m, 2 H), 3.05 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):**δ 160.3, 144.3, 132.2, 131.6, 131.0, 129.6, 127.8, 126.7, 125.8, 123.4, 122.6, 122.3, 122.2, 23.2.

**HRMS (ESI):** calc. for [(C<sub>14</sub>H<sub>10</sub>BrN)<sub>2</sub>H] (M+H) 272.0075, measured 272.0078.

### 5-Methylbenzo[*b*]phenanthridine (4g).



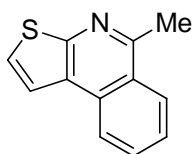
Colorless solid; R<sub>f</sub> value: 0.42 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.99 (s, 1 H), 8.75 (d, *J* = 8.0 Hz, 1 H), 8.58 (s, 1 H), 8.18 (d, *J* = 8.0 Hz, 1 H), 8.11 – 8.08 (m, 2 H), 7.86 (t, *J* = 8.0 Hz, 1 H), 7.70 (t, *J* = 8.0 Hz, 1 H), 7.58 – 7.56 (m, 2 H), 3.04 (s, 3 H)

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):**δ 159.7, 141.2, 133.3, 132.5, 131.5, 130.7, 128.2, 128.1, 127.7, 127.0, 126.7, 126.0, 125.9, 123.0, 122.5, 121.0, 23.7.

**HRMS (ESI):** calc. for [(C<sub>18</sub>H<sub>13</sub>N)H] (M+H) 244.1126, measured 244.1125.

### 5-Methylthieno[2,3-*c*]isoquinoline (4h).



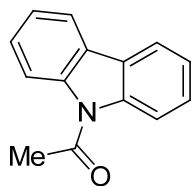
Colorless solid; R<sub>f</sub> value: 0.43 in 10% ethyl acetate in hexanes; eluent (10% ethyl acetate in hexanes).

**<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz):** δ 8.27 (d, *J* = 8.0 Hz, 1 H), 8.23 (d, *J* = 8.0 Hz, 1 H), 7.82 - 7.78 (m, 2 H), 7.64 (t, *J* = 8.0 Hz, 1 H), 7.54 (d, *J* = 8.0 Hz, 1 H), 3.05 (s, 3 H).

**<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz):**δ 156.1, 131.9, 130.3, 126.6, 126.0, 124.7, 124.4, 123.3, 119.7, 22.8.

**HRMS (ESI):** calc. for [(C<sub>12</sub>H<sub>9</sub>NS)H] (M+H) 200.0534, measured 200.0530.

### 1-(9H-Carbazol-9-yl)ethanone (5a).<sup>1</sup>



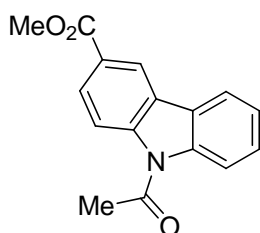
Colorless solid; R<sub>f</sub> value: 0.43 in 5% ethyl acetate in hexanes; eluent (5% ethyl acetate in hexanes).

<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz): δ 8.22 (d, *J* = 8.0 Hz, 2 H), 8.00 (d, *J* = 8.0 Hz, 2 H), 7.49 (t, *J* = 8.0 Hz, 2 H), 7.40 (t, *J* = 8.0 Hz, 2 H), 2.89 (s, 3 H).

<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz): δ 170.1, 138.6, 127.3, 126.4, 123.7, 119.8, 116.2, 27.7.

HRMS (ESI): calc. for [(C<sub>14</sub>H<sub>11</sub>NO)H] (M+H) 210.0919, measured 210.0920.

### Methyl 9-acetyl-9H-carbazole-3-carboxylate (5b).<sup>2</sup>



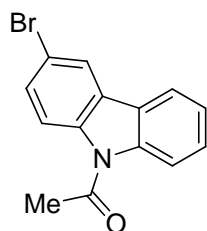
Colorless solid; R<sub>f</sub> value: 0.4 in 5% ethyl acetate in hexanes; eluent (5% ethyl acetate in hexanes).

<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz): δ 8.65 (s, 1 H), 8.28 (d, *J* = 8.0 Hz, 1 H), 8.15 (d, *J* = 8.0 Hz, 2 H), 8.04 (d, *J* = 4.0 Hz, 1 H), 7.52 (t, *J* = 8.0 Hz, 1 H), 7.43 (t, *J* = 8.0 Hz, 1 H), 4.00 (s, 3 H), 2.09 (s, 3 H).

<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz): δ 170.1, 116.9, 141.3, 138.9, 128.7, 127.9, 126.2, 125.8, 125.4, 124.0, 121.6, 120.2, 116.0, 115.9, 52.2, 27.7.

HRMS (ESI): calc. for [(C<sub>16</sub>H<sub>13</sub>NO<sub>3</sub>)H] (M+H) 268.0974, measured 268.0973.

### 1-(3-Bromo-9H-carbazol-9-yl)ethanone (5c).<sup>2</sup>



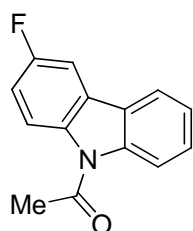
Colorless solid; R<sub>f</sub> value: 0.44 in 5% ethyl acetate in hexanes; eluent (5% ethyl acetate in hexanes).

<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz): δ 8.15 (d, *J* = 8.0 Hz, 1 H), 8.08 (d, *J* = 8.0 Hz, 1 H), 8.04 (s, 1 H), 7.91 (t, *J* = 8.0 Hz, 1 H), 7.54 (d, *J* = 8.0 Hz, 1 H), 7.50 (t, *J* = 8.0 Hz, 1 H), 7.39 (t, *J* = 8.0 Hz, 1 H), 2.85 (s, 3 H).

<sup>13</sup>C NMR (CDCl<sub>3</sub>, 100 MHz): δ 170.0, 138.6, 137.4, 130.1, 128.0, 125.2, 123.6, 122.6, 122.4, 120.0, 117.8, 116.8, 115.7, 27.8.

HRMS (ESI): calc. for [(C<sub>14</sub>H<sub>10</sub>BrNO)H] (M+H) 288.0024, measured 288.0021.

### 1-(3-Fluoro-9H-carbazol-9-yl)ethanone (5d).<sup>2</sup>



Colorless solid; R<sub>f</sub> value: 0.41 in 5% ethyl acetate in hexanes; eluent (5% ethyl acetate in hexanes).

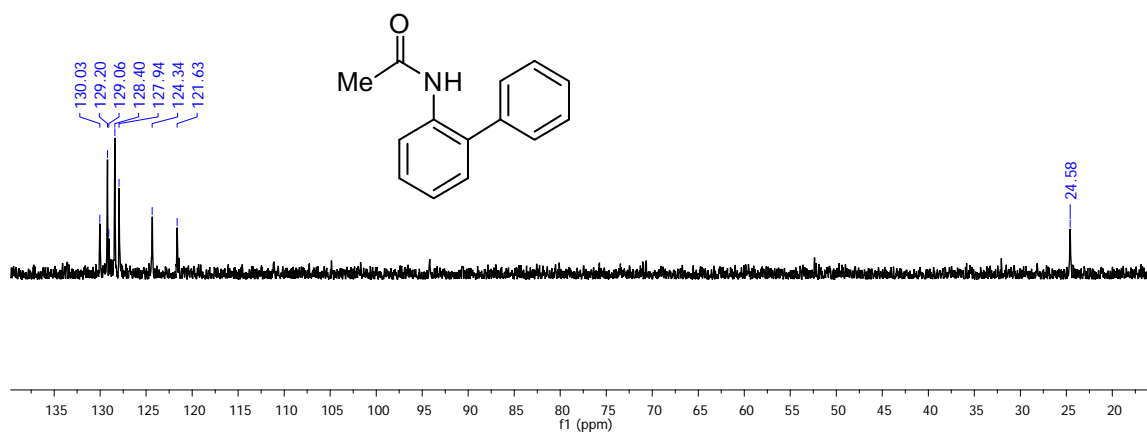
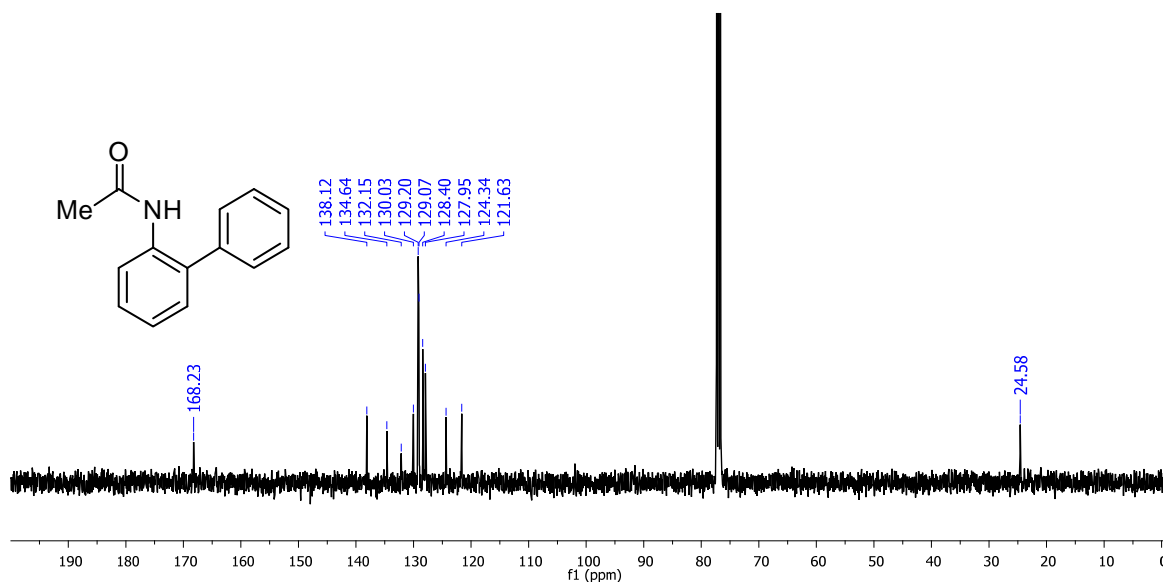
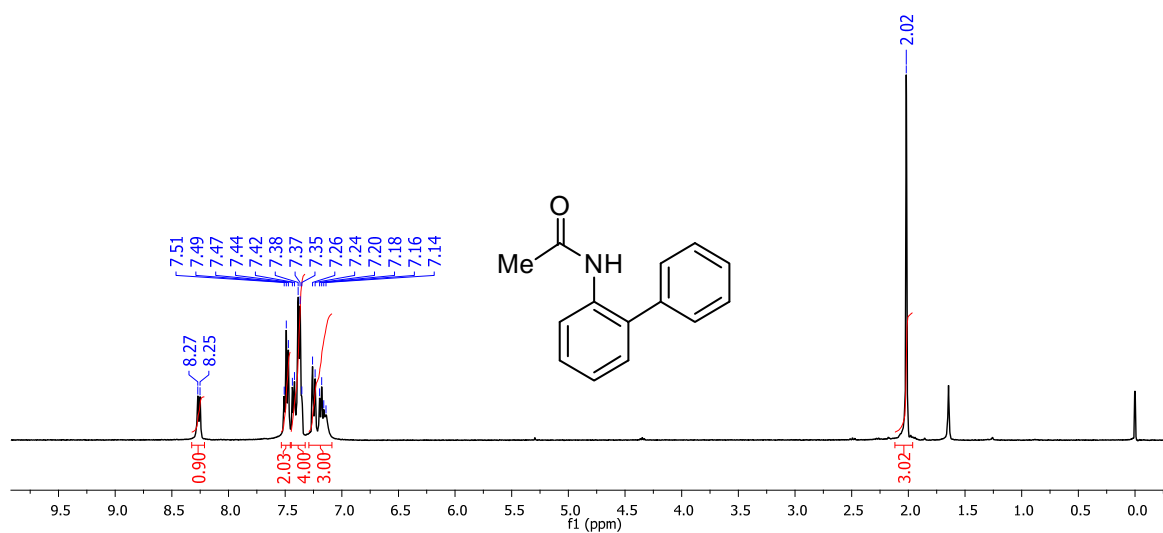
<sup>1</sup>H NMR (CDCl<sub>3</sub>, 400 MHz): δ 8.31 (m, 1 H), 8.11 (d, *J* = 8.0 Hz, 1 H), 7.96 (d, *J* = 8.0 Hz, 1 H), 7.64 (dd, *J* = 8.0, 4.0 Hz, 1 H), 7.52 (t, *J* = 8.0 Hz, 1 H), 7.41 (t, *J* = 8.0 Hz, 1 H), 7.21 (t, *J* = 8.0 Hz, 1 H), 2.89 (s, 3 H).

HRMS (ESI): calc. for [(C<sub>14</sub>H<sub>10</sub>FNO)H] (M+H) 228.0825, measured 228.0823.

**The compounds 5a-d was prepared based on the following reported procedure:**

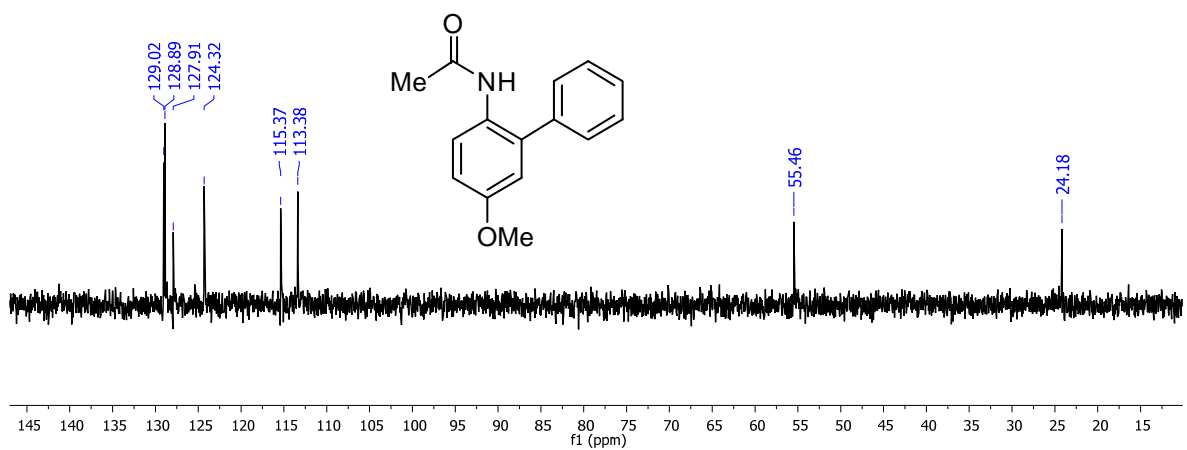
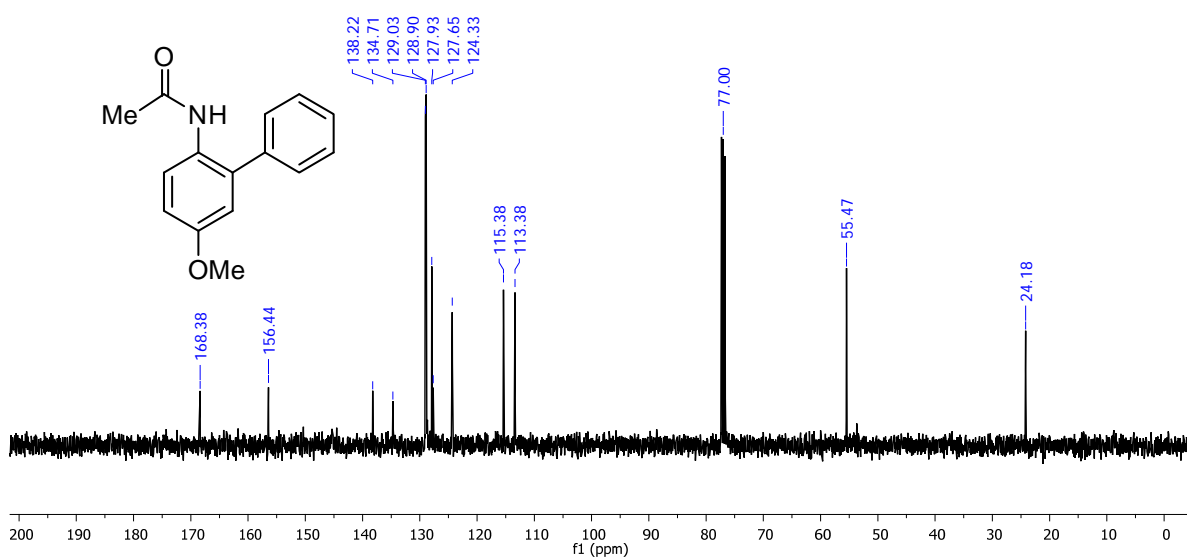
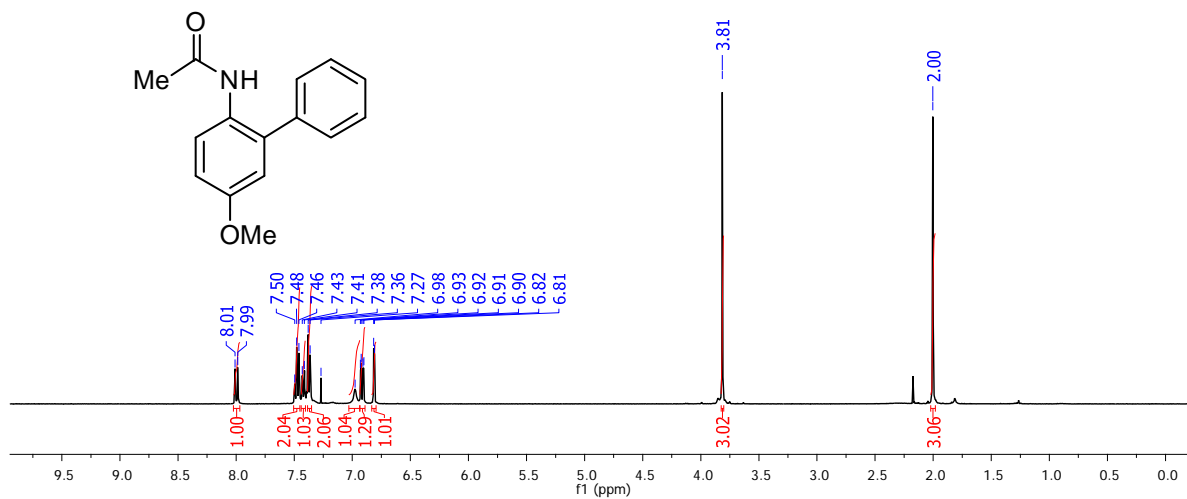
1. W. C. P. Tsang, N. Zheng, S. L. Buchwald, *J. Am. Chem. Soc.* 2005, **127**, 14560.
2. S. H. Cho, J. Yoon, and S. Chang, *J. Am. Chem. Soc.* 2011, **133**, 5996.

### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3a**.

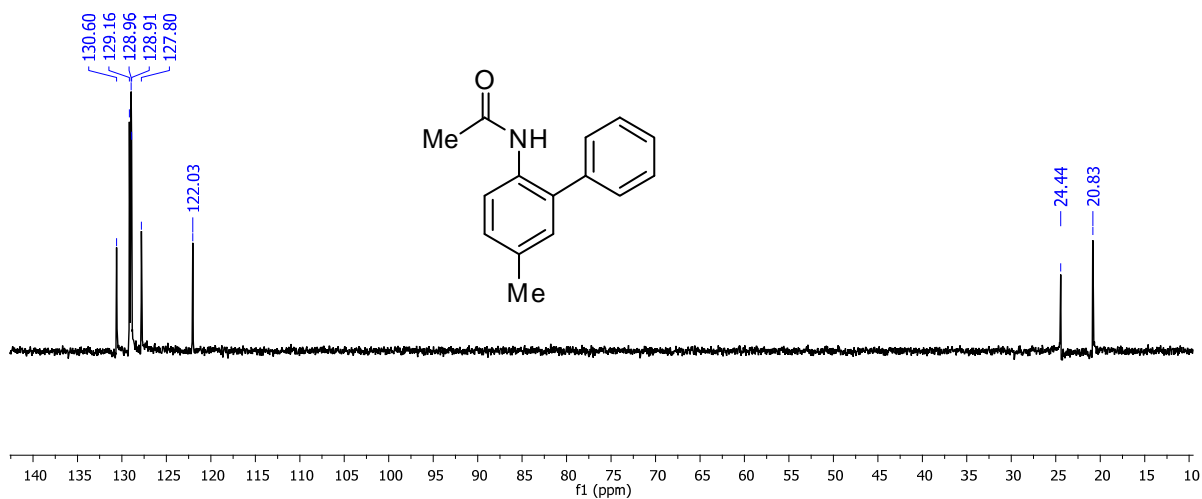
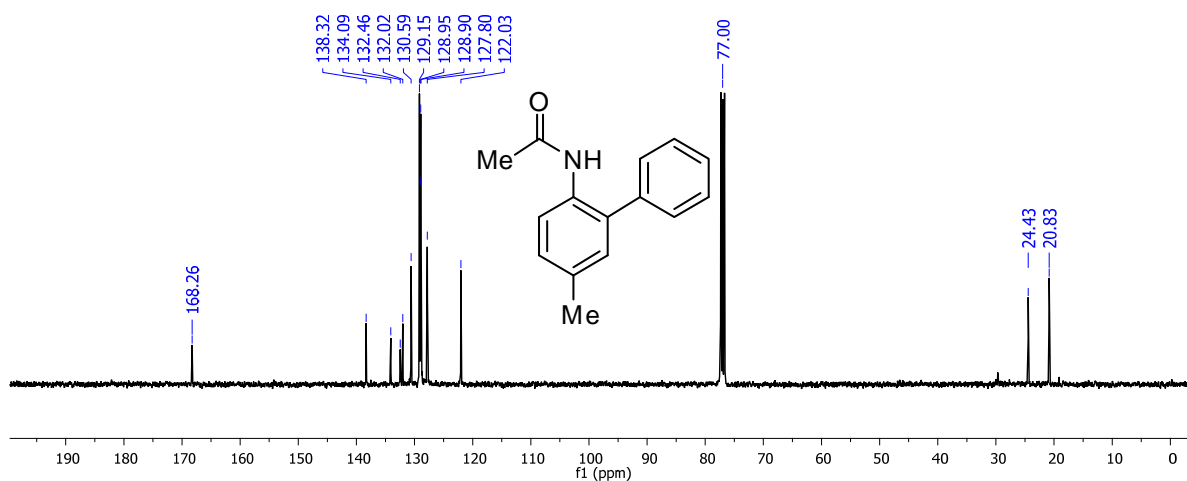
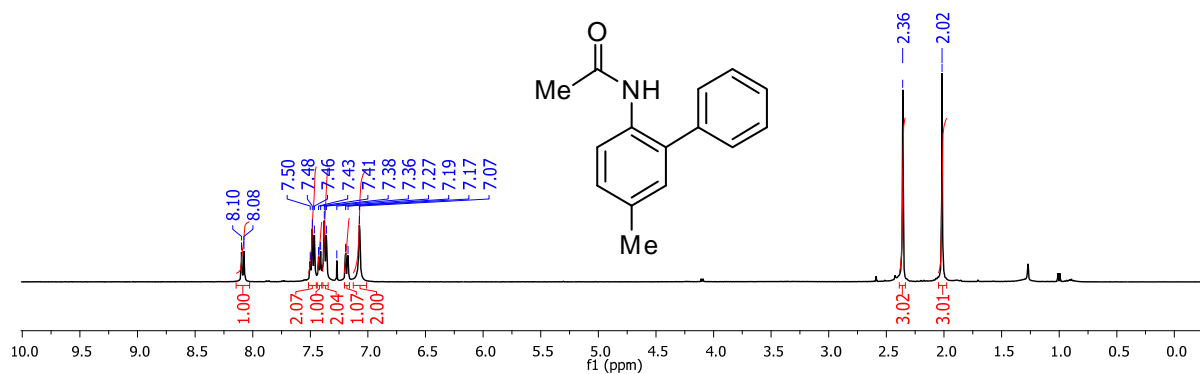




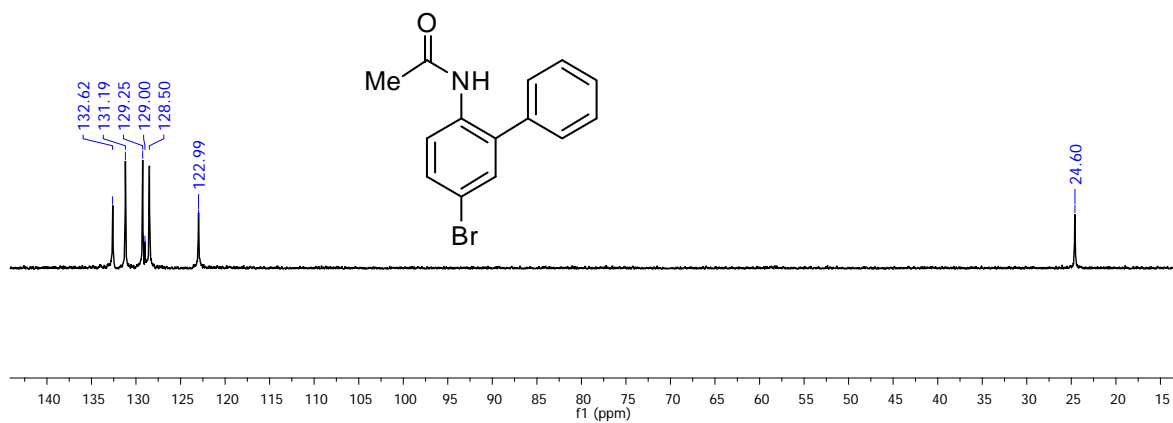
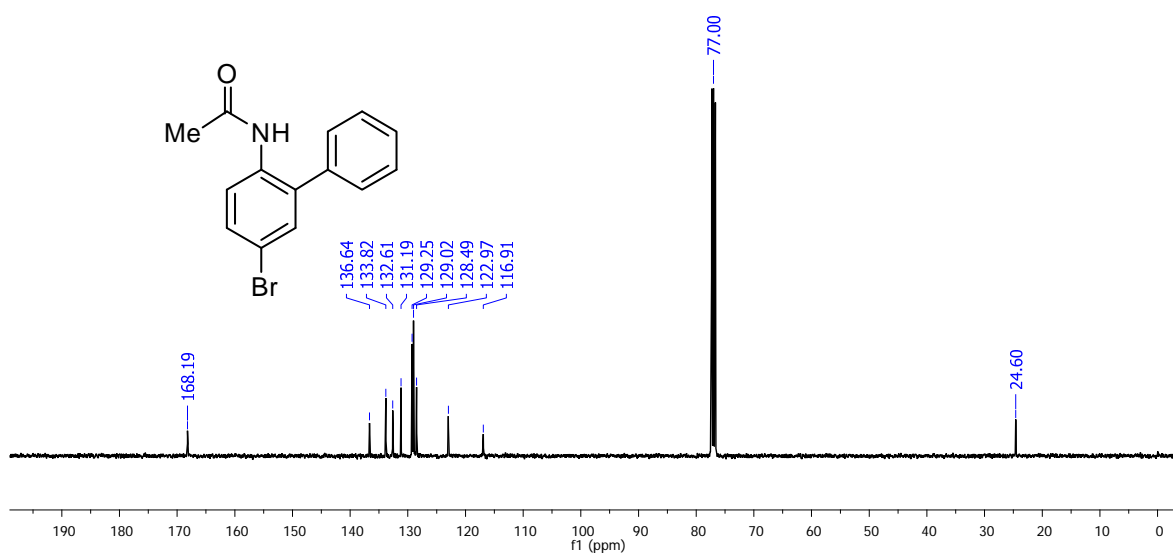
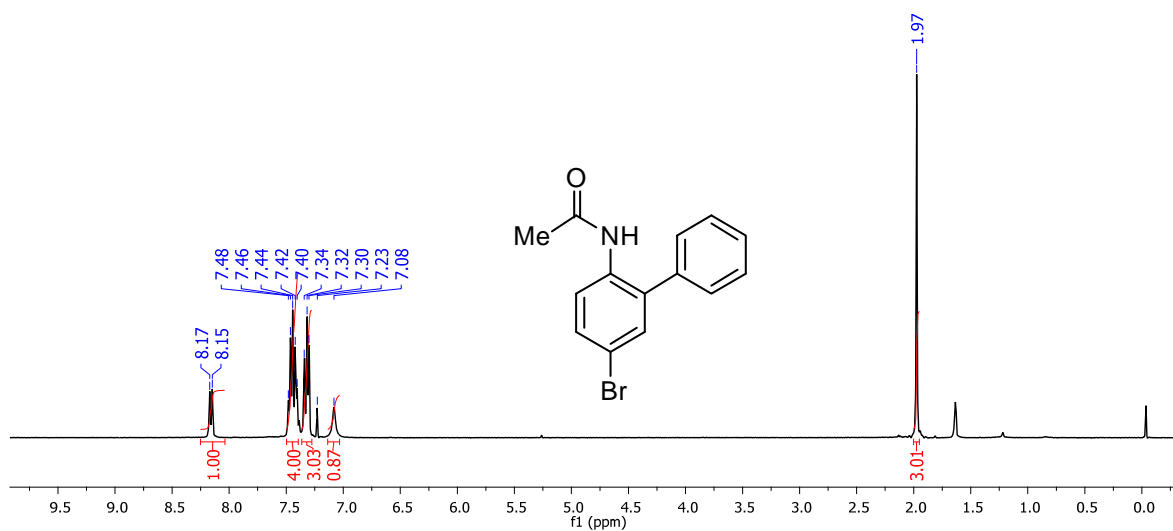
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3b**.



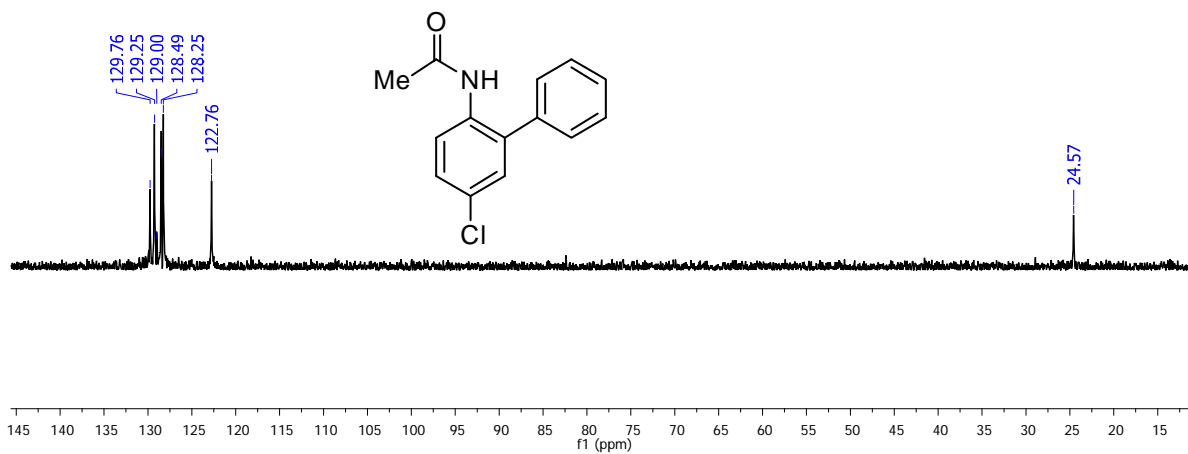
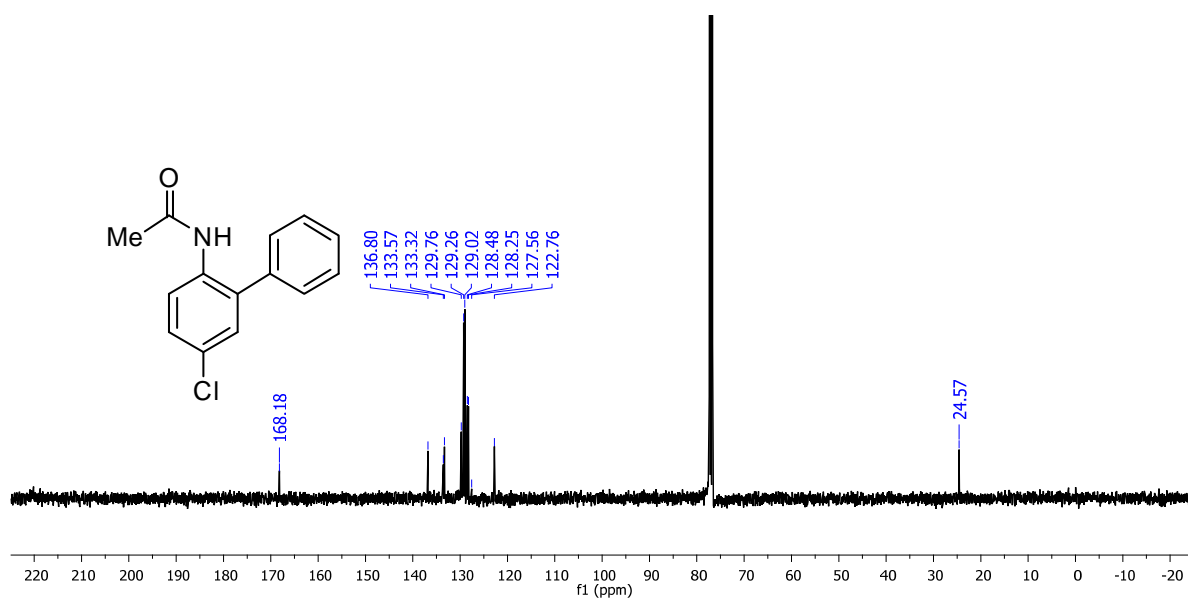
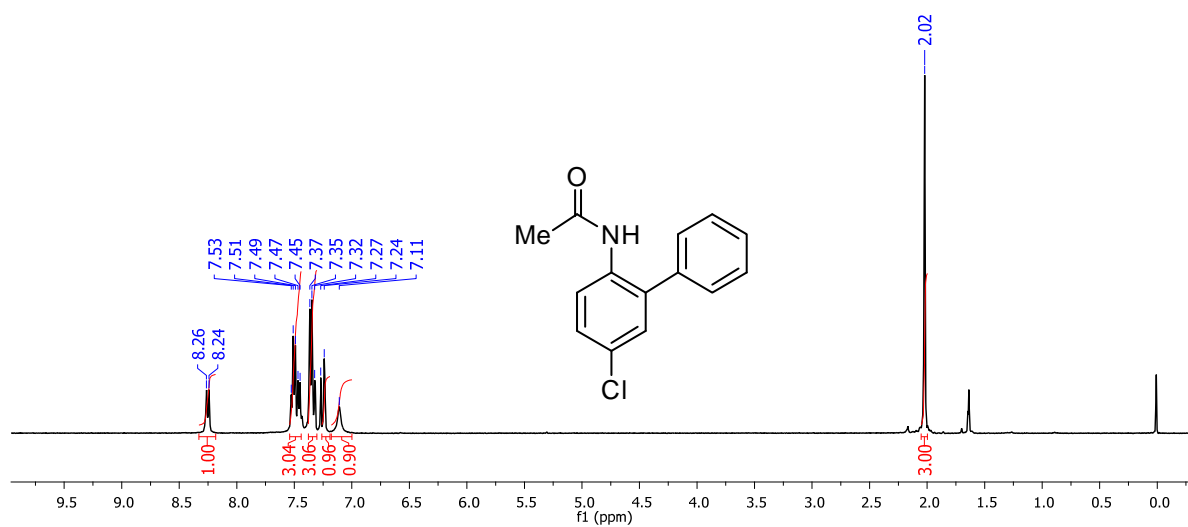
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3c**.



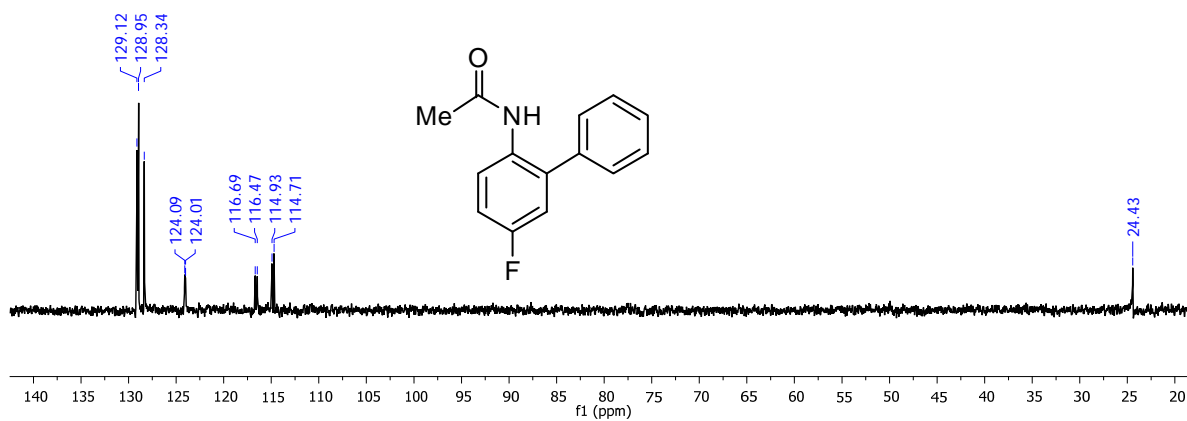
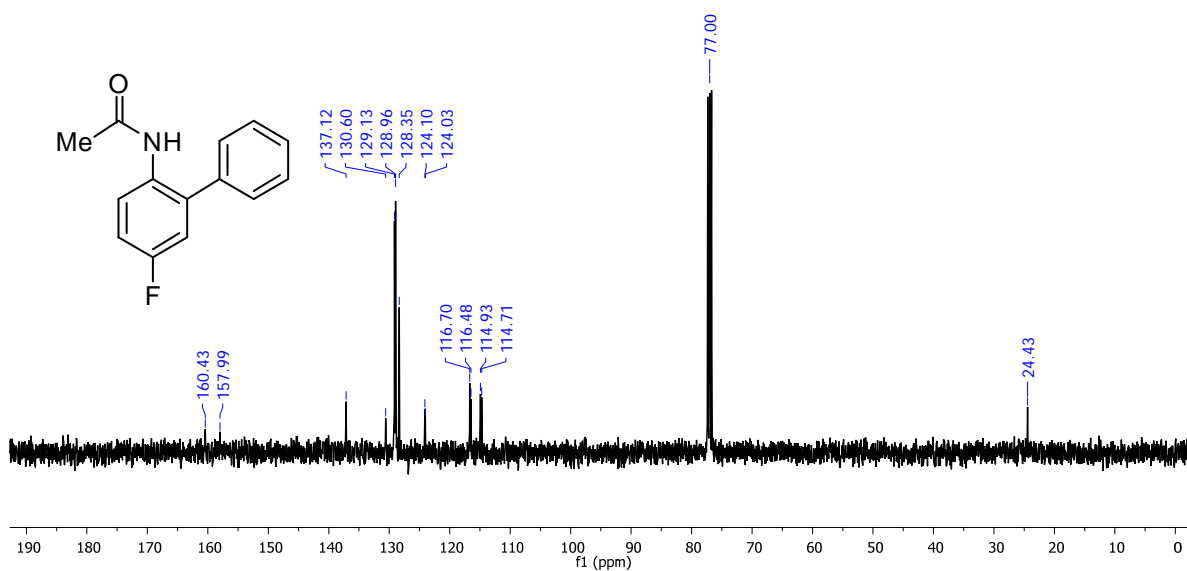
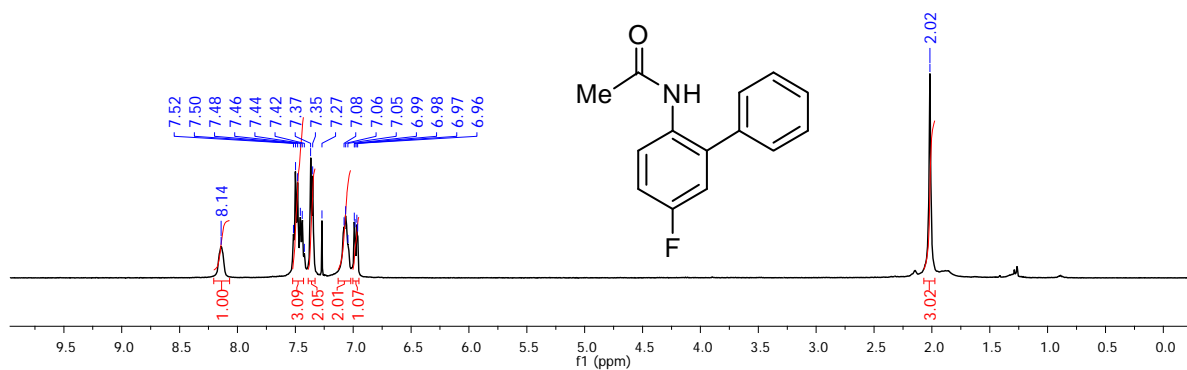
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3d**.



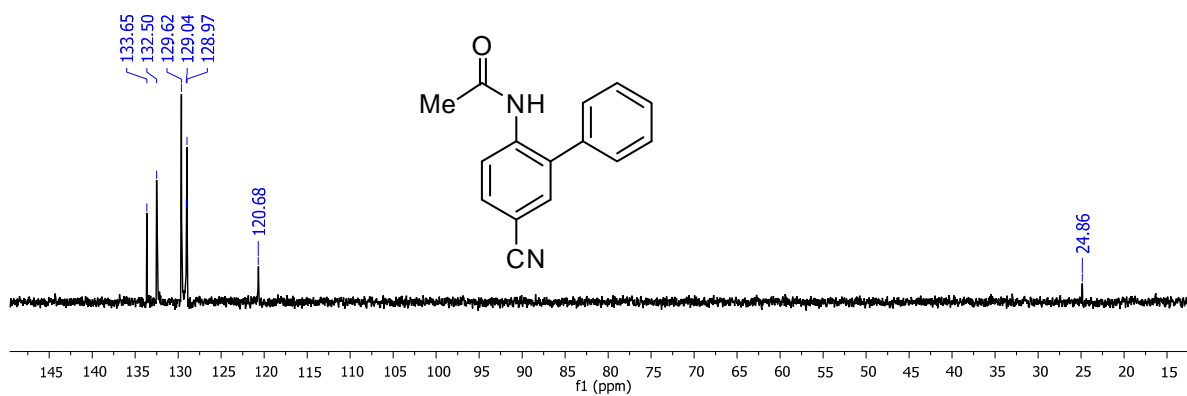
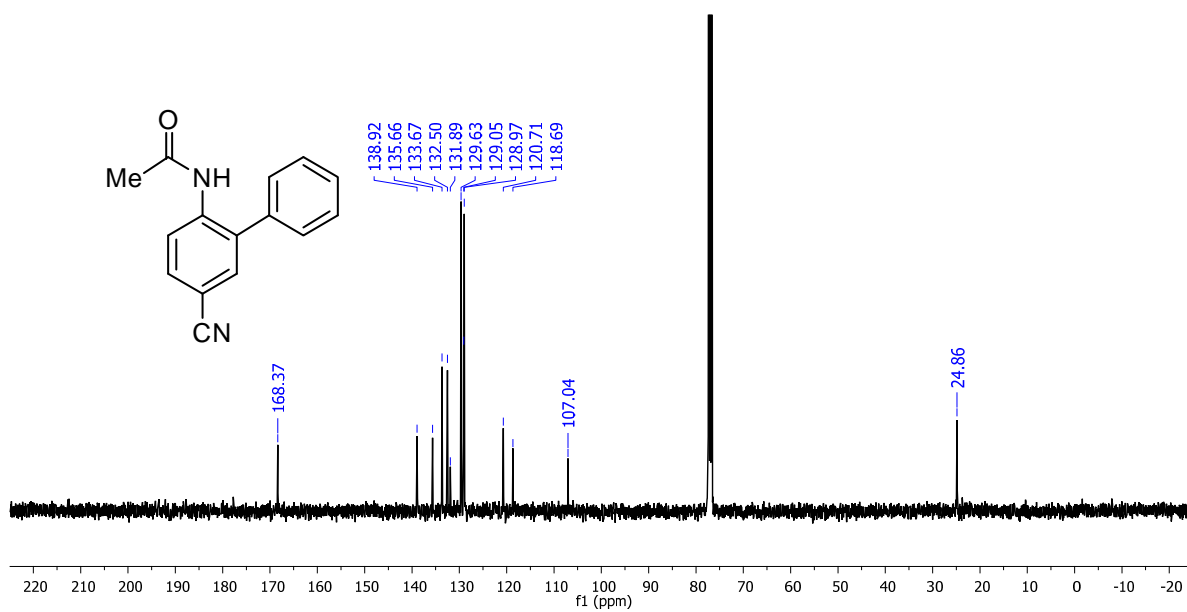
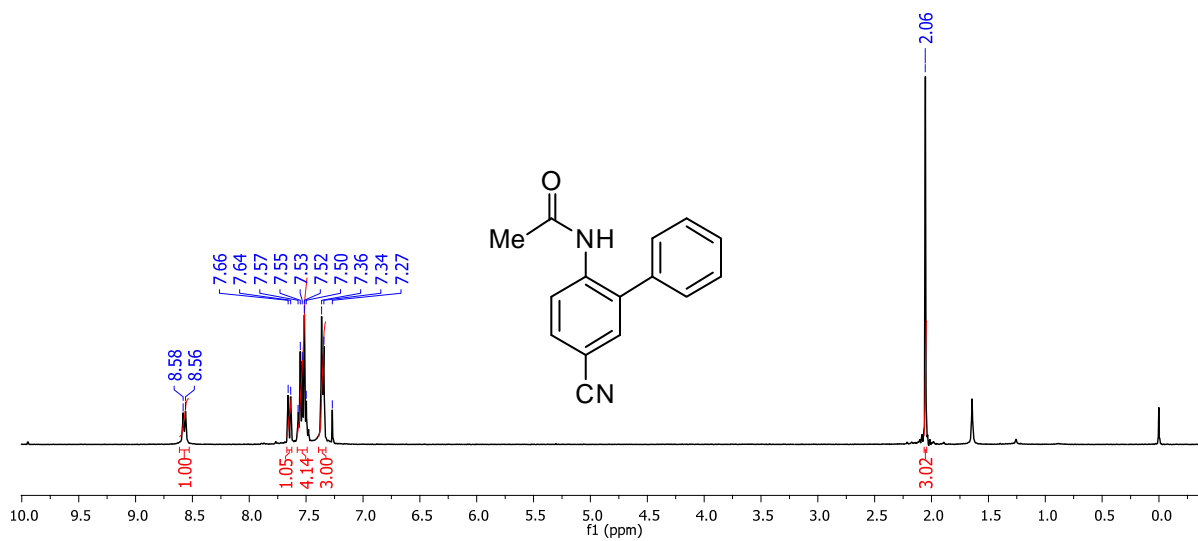
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3e**.



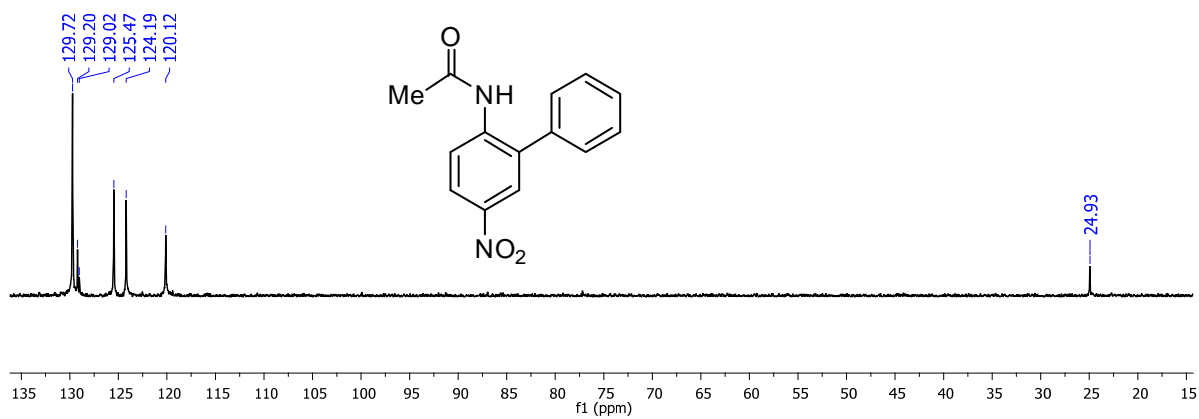
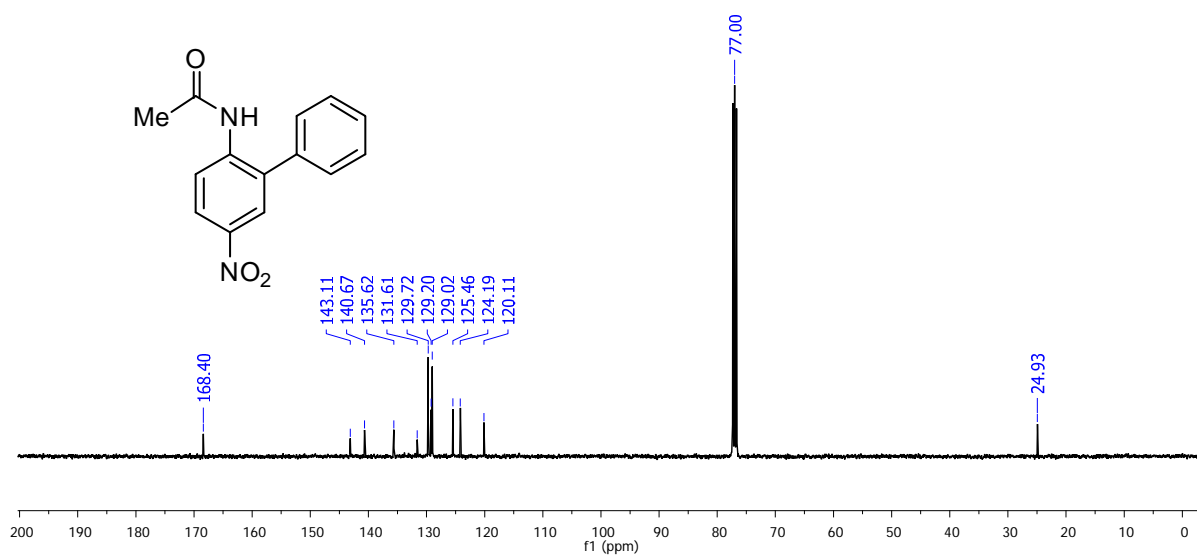
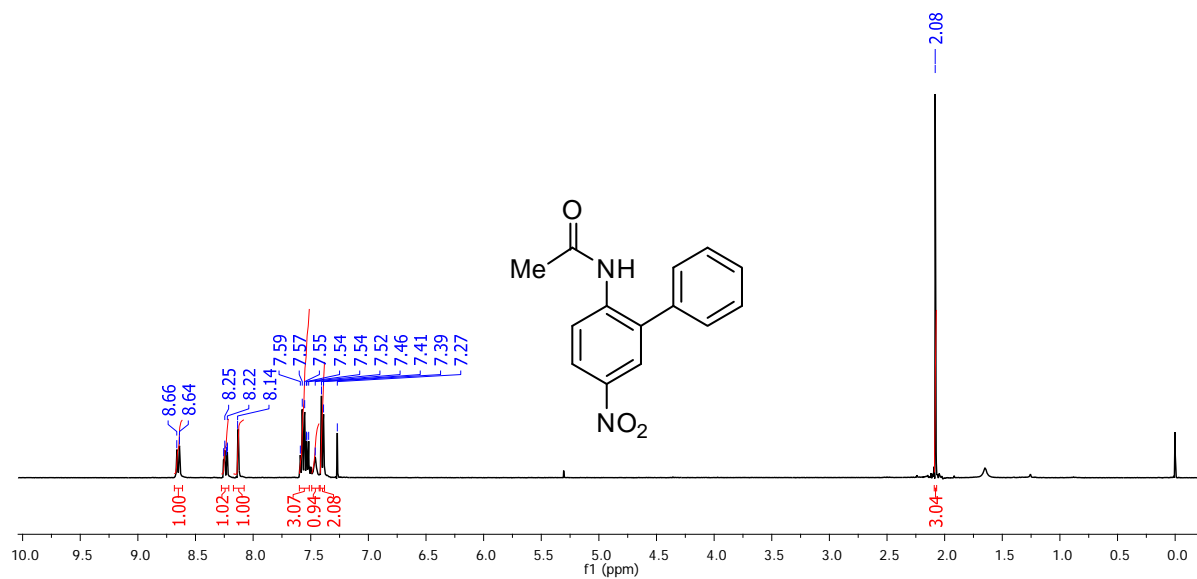
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3f**.



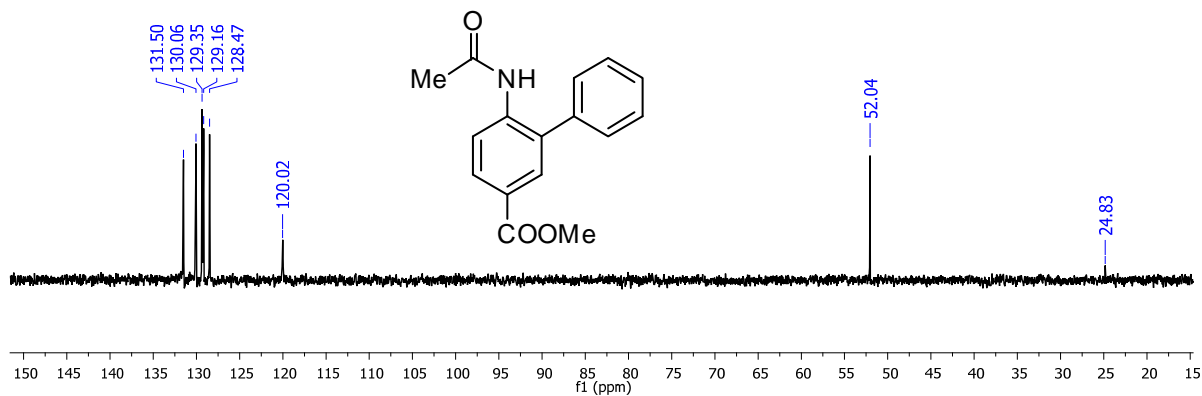
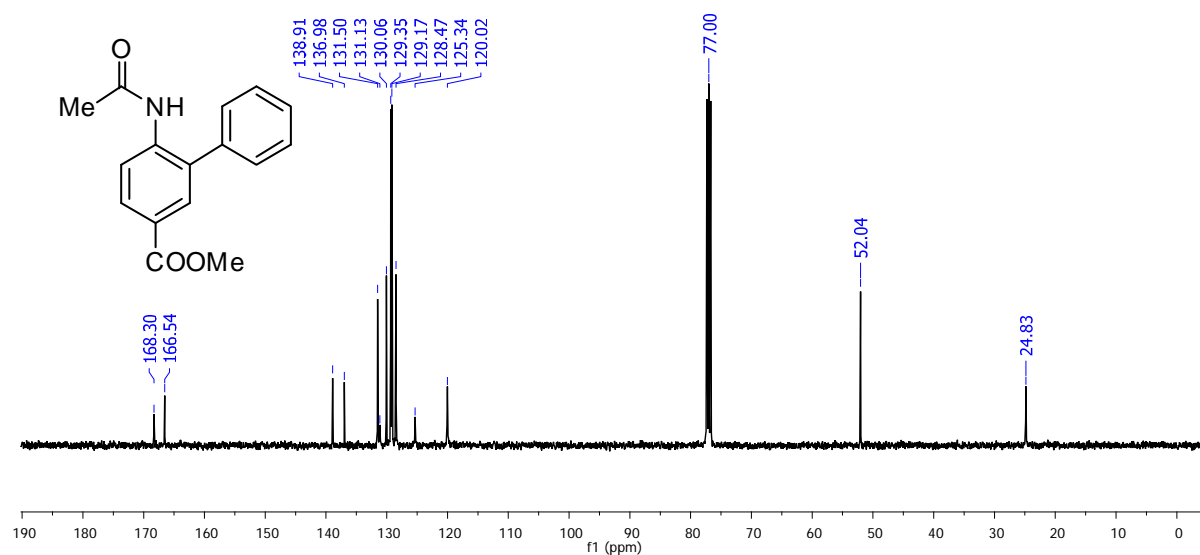
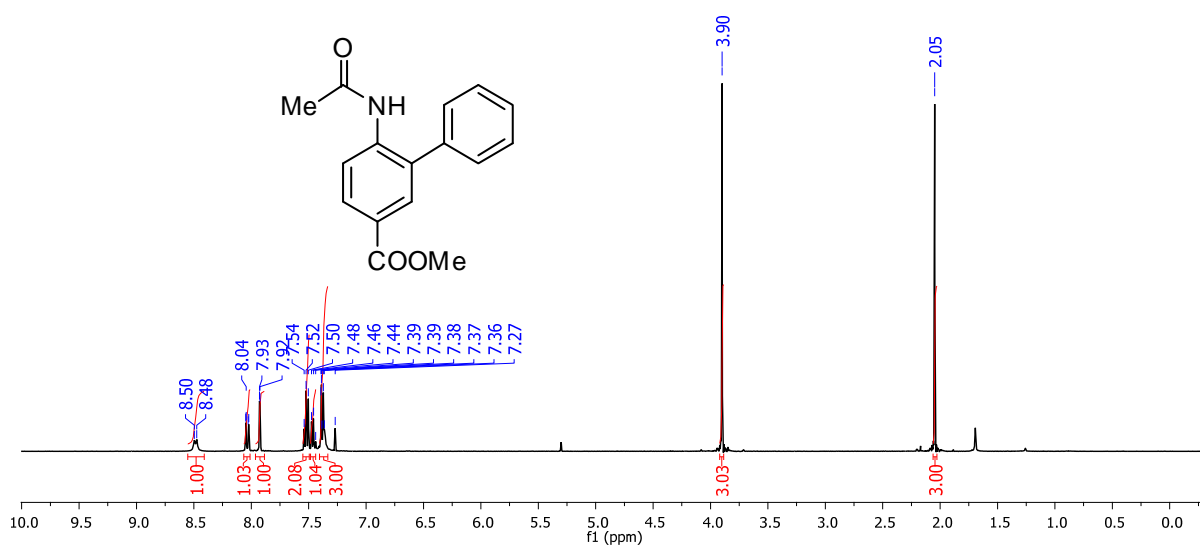
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3g**



### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3h**

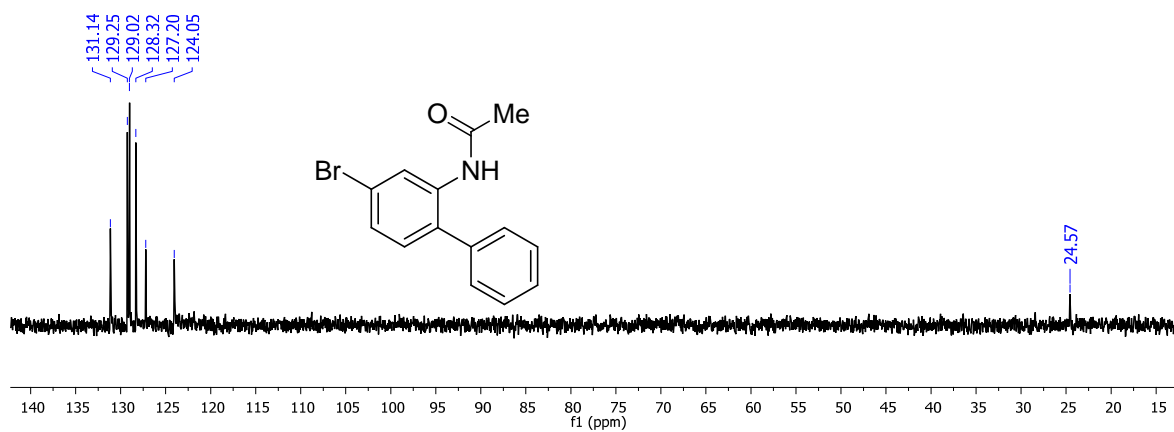
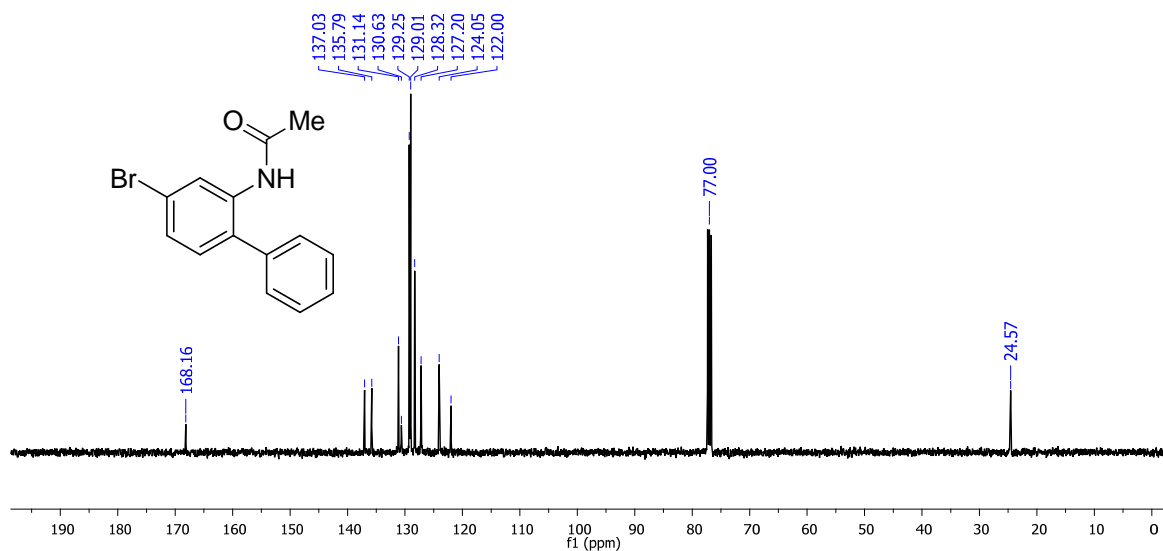
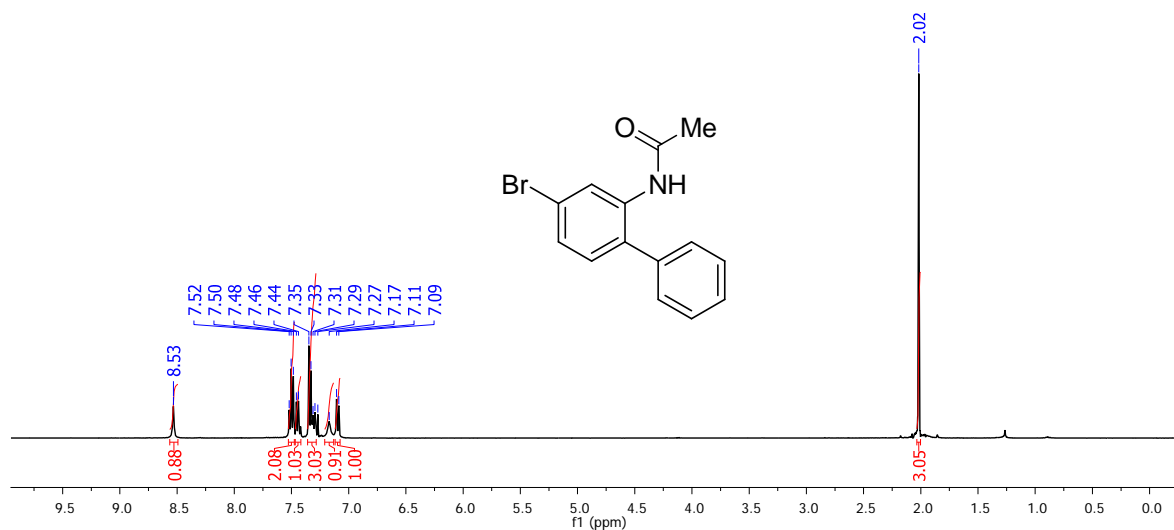


### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3i**

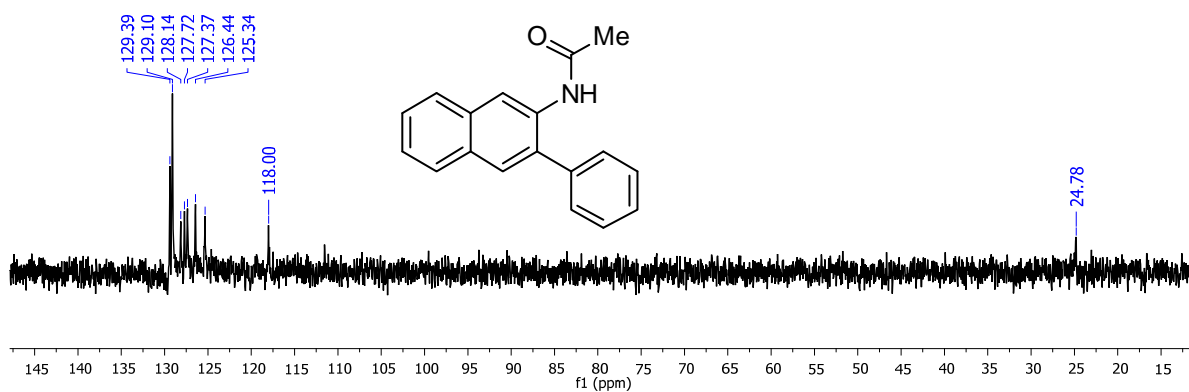
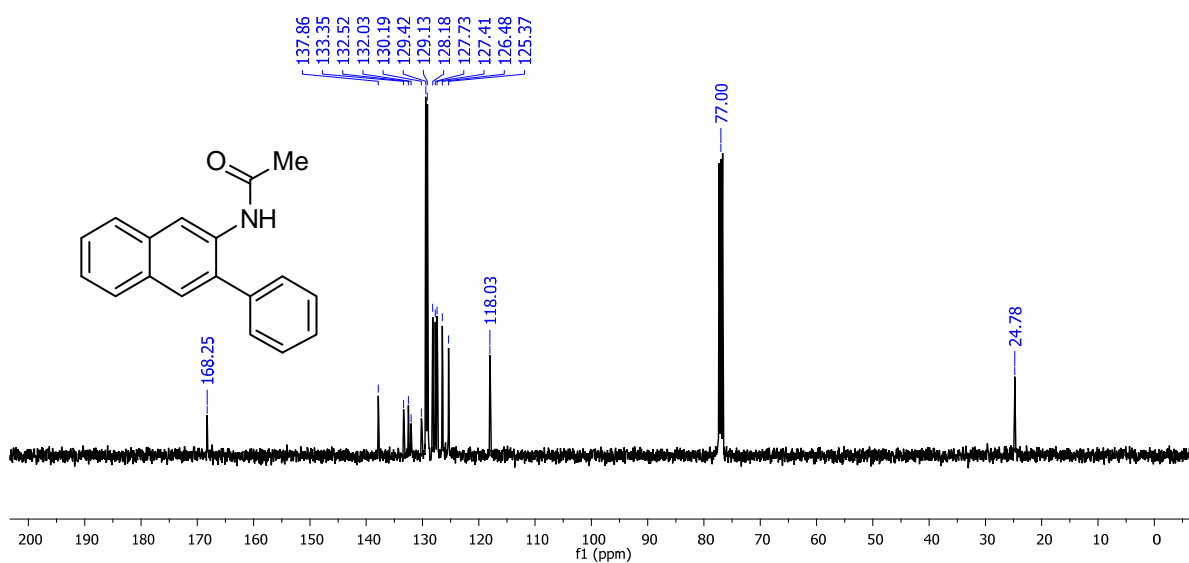
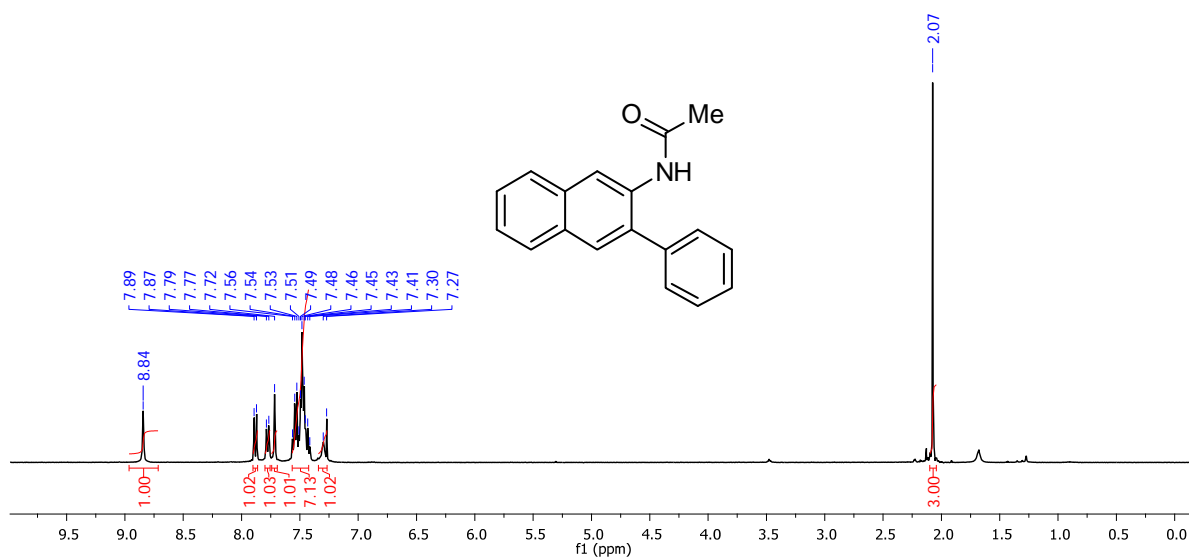




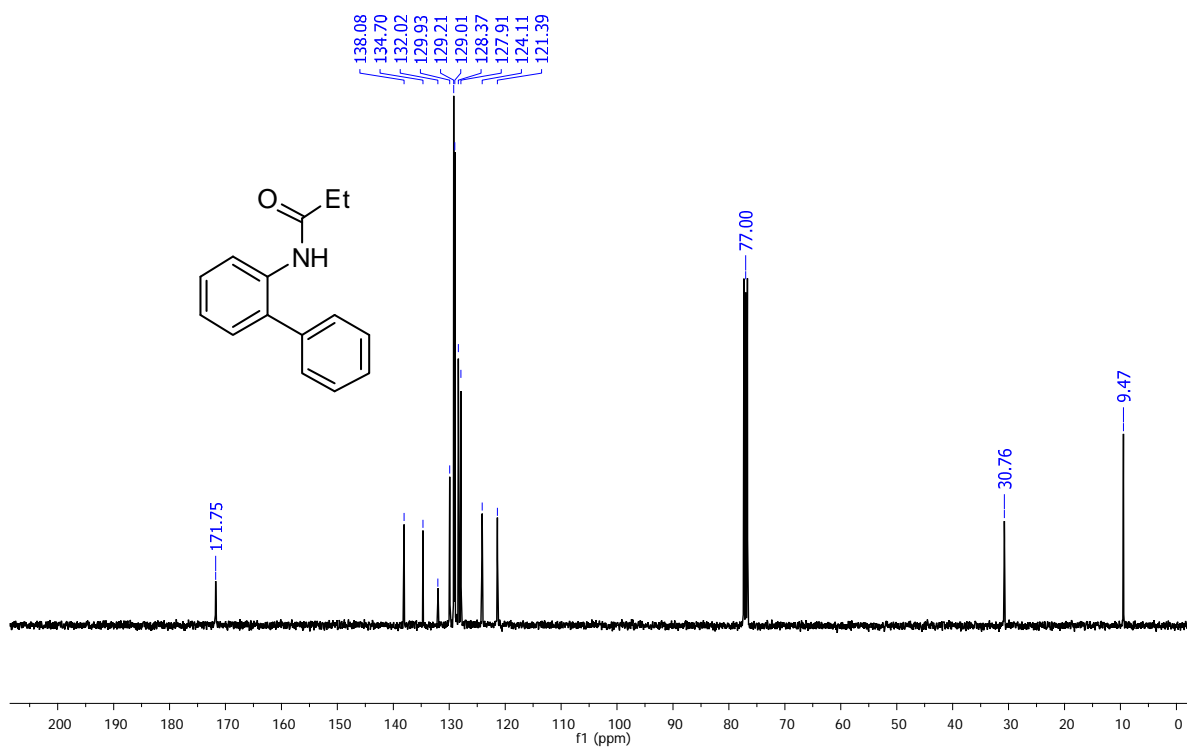
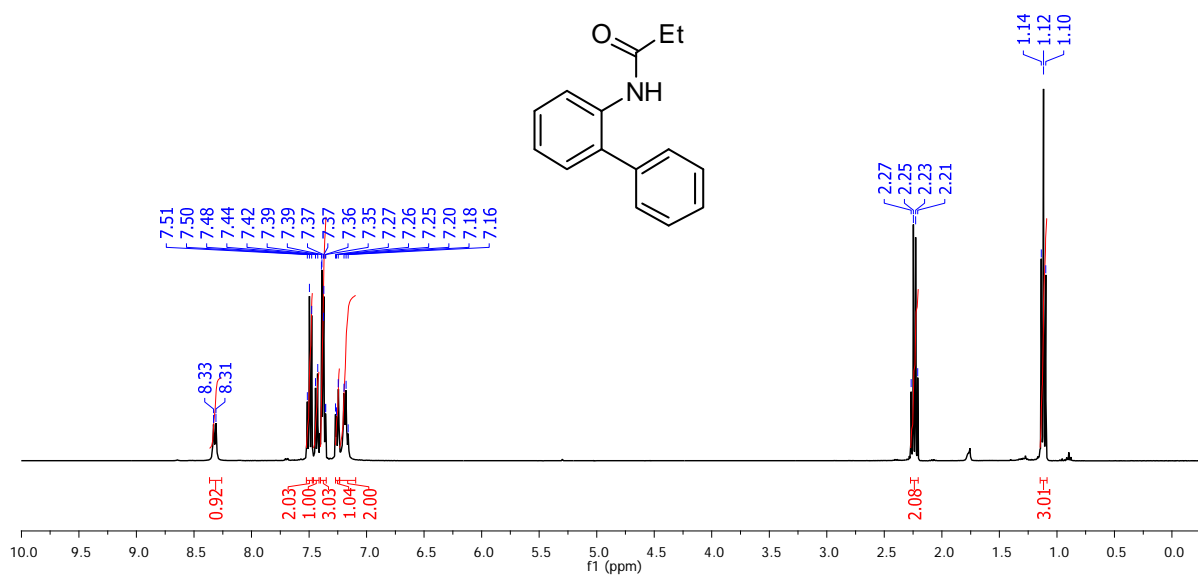
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3j**



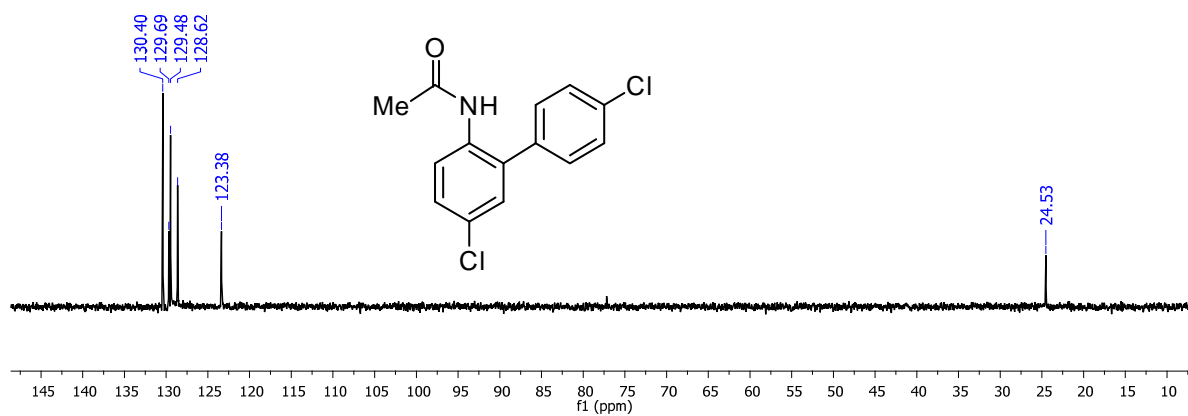
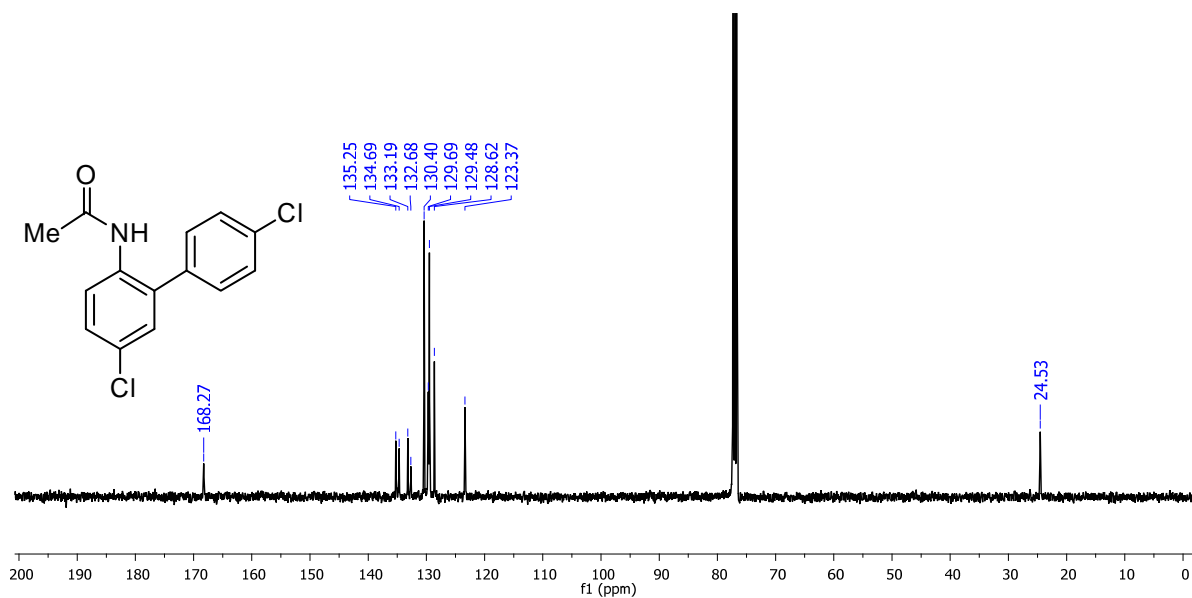
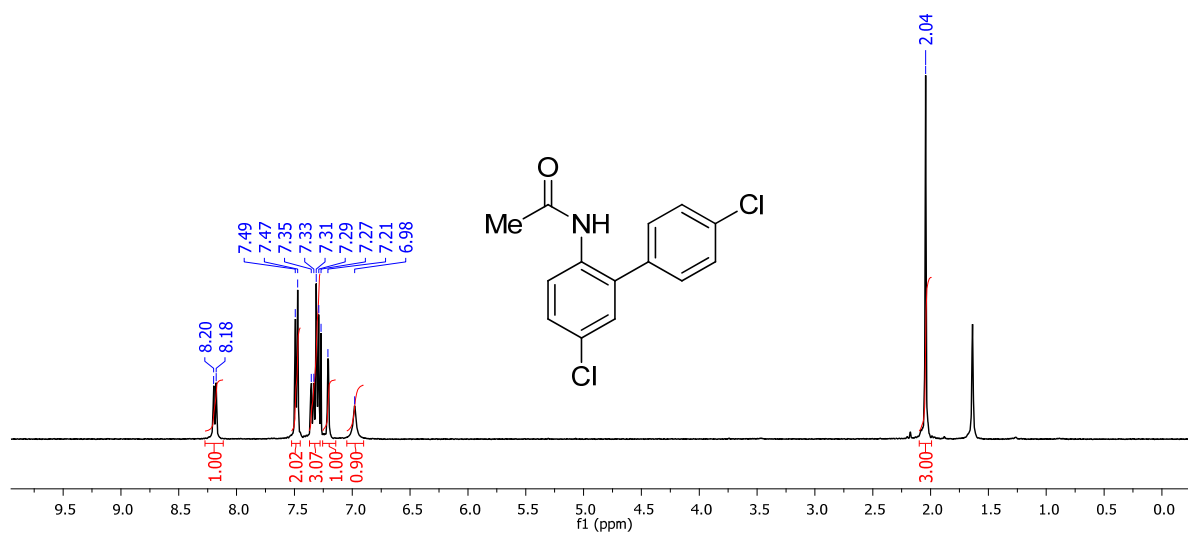
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3k**



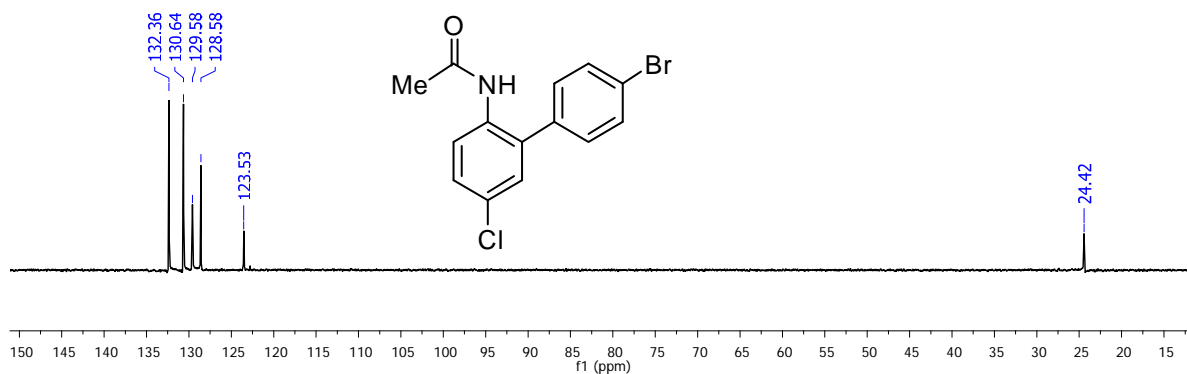
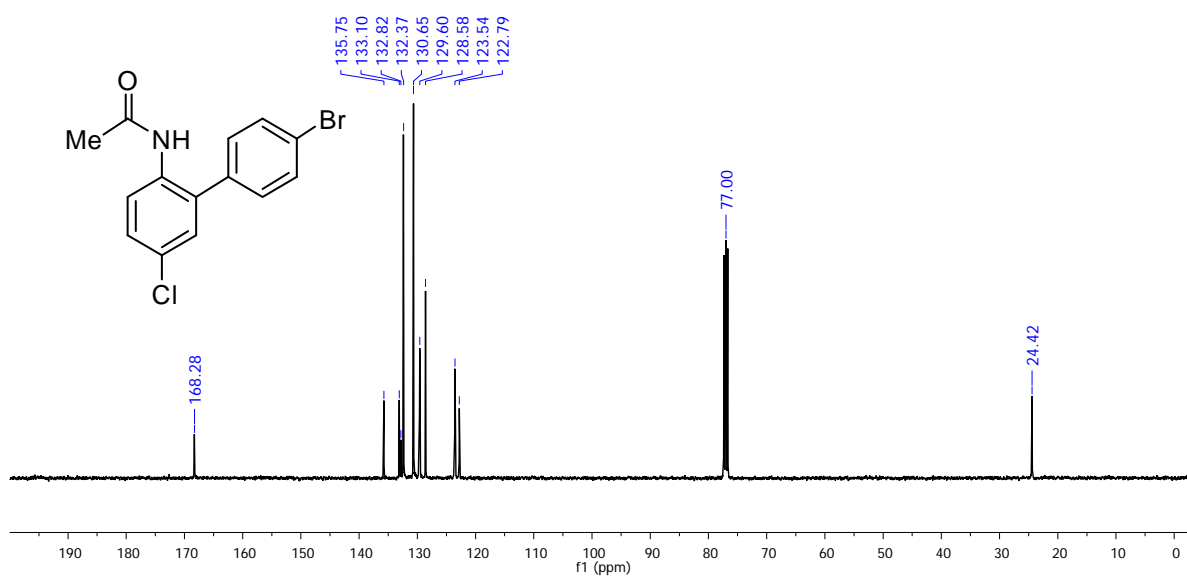
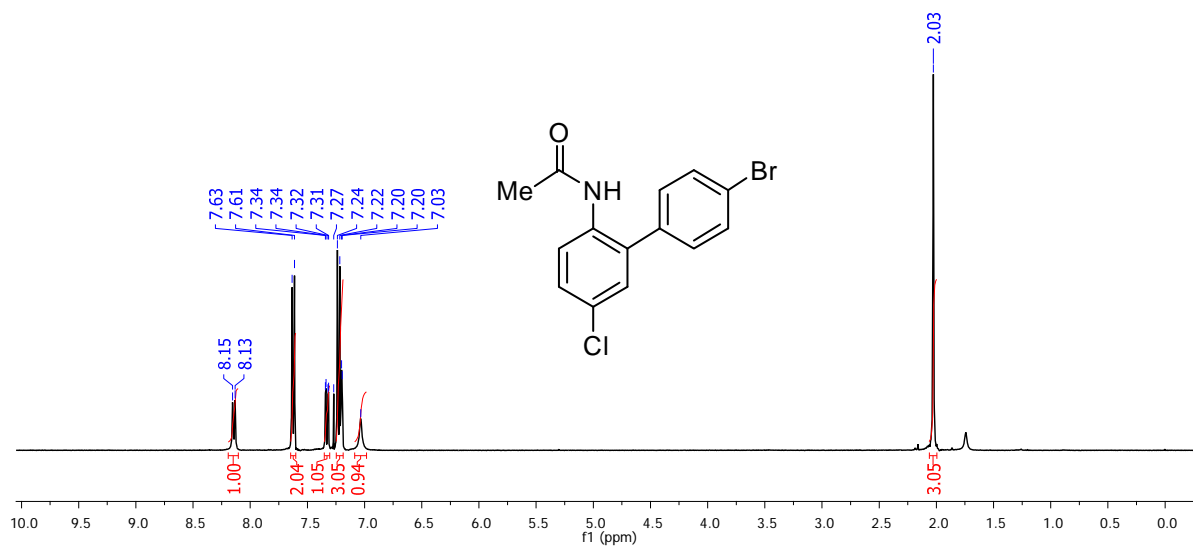
# $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **31**



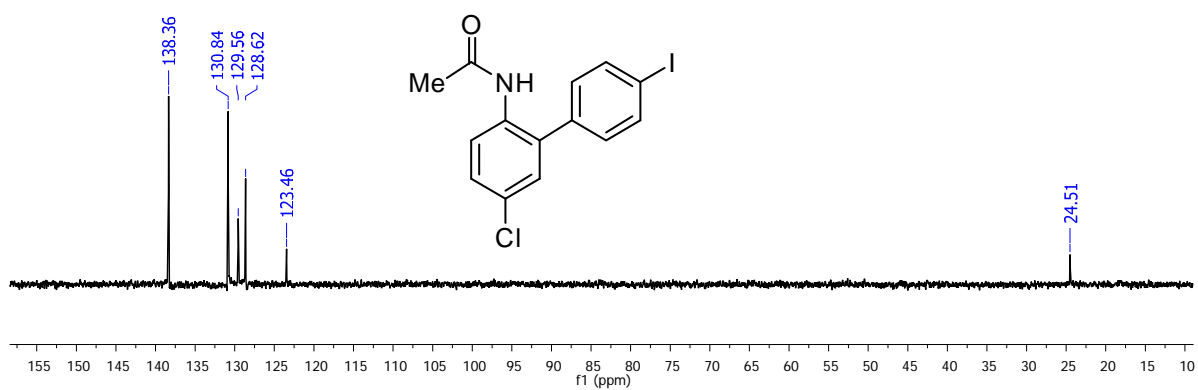
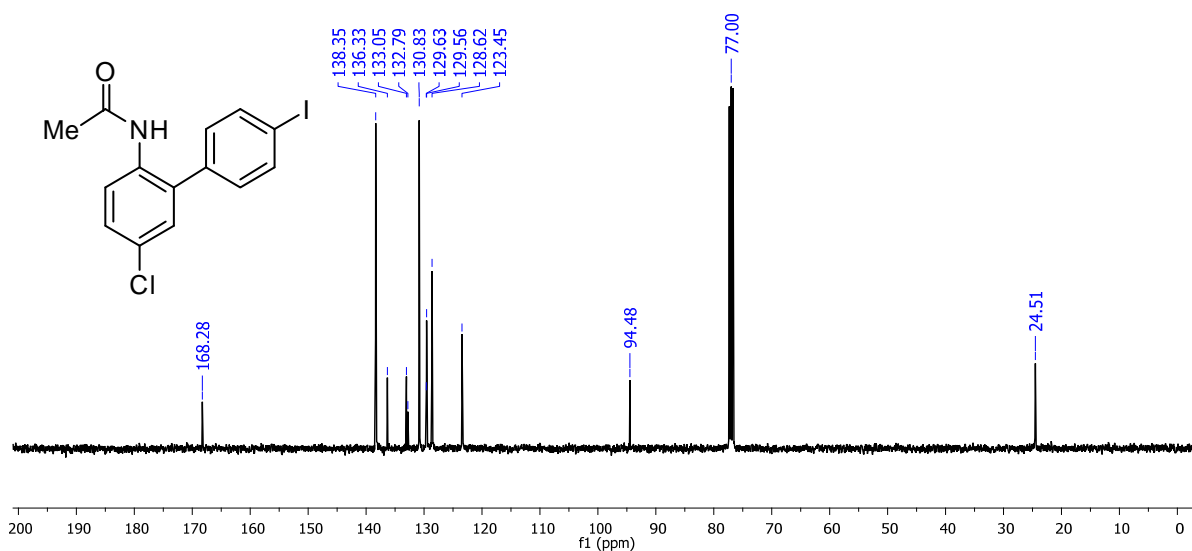
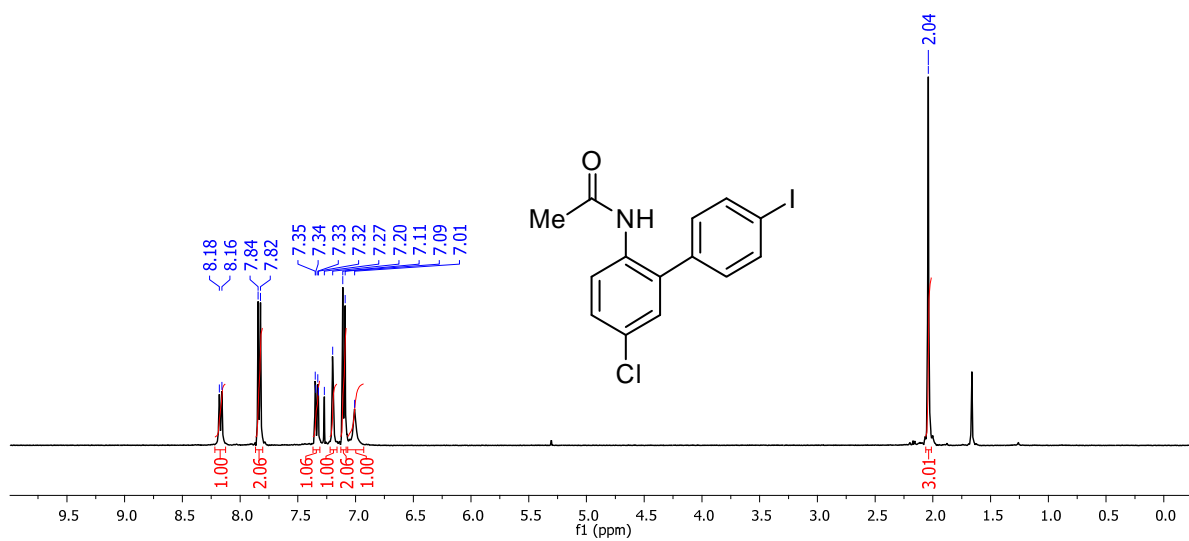
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **30**



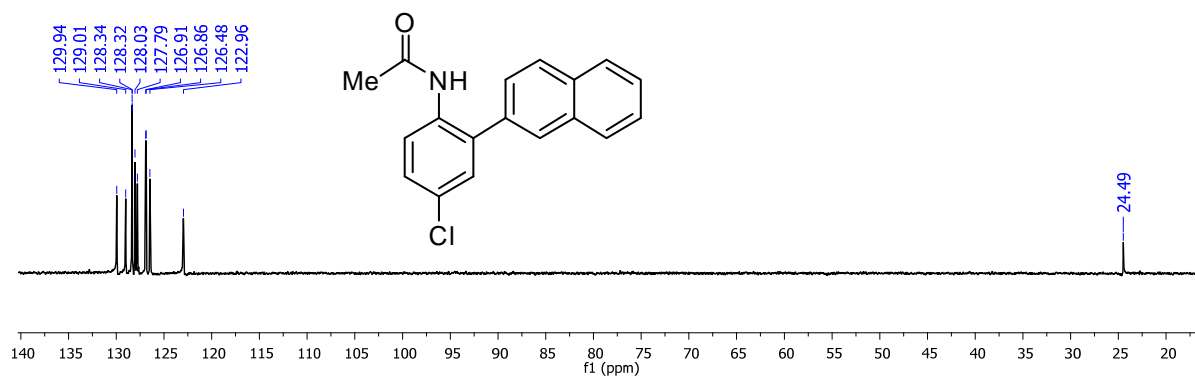
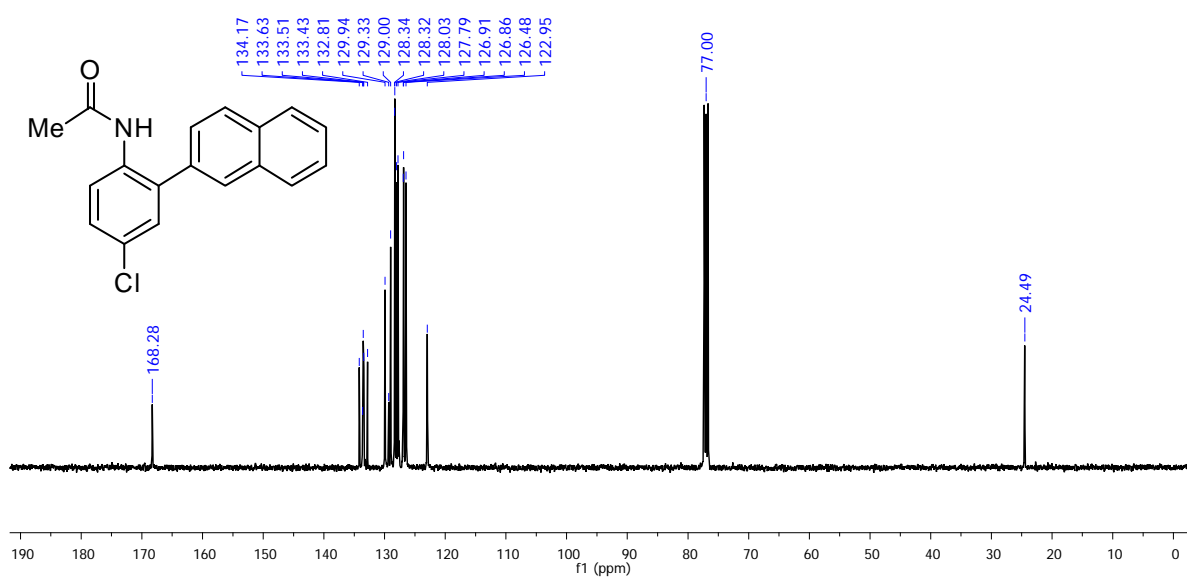
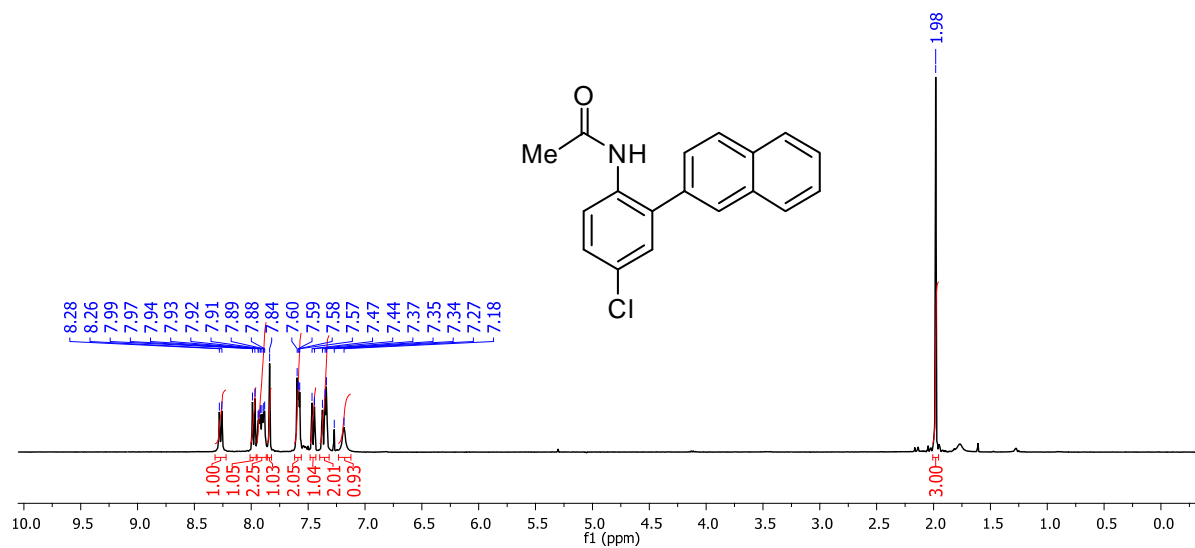
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3p**



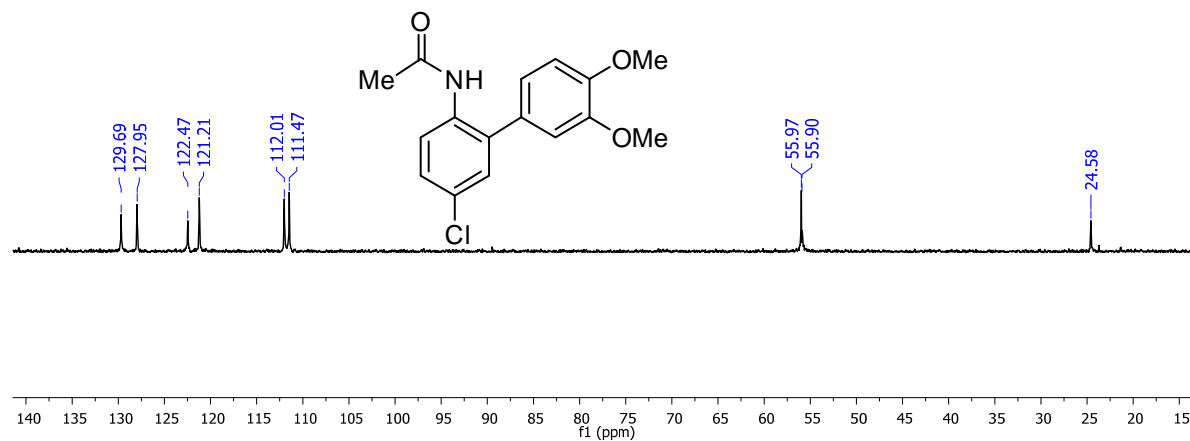
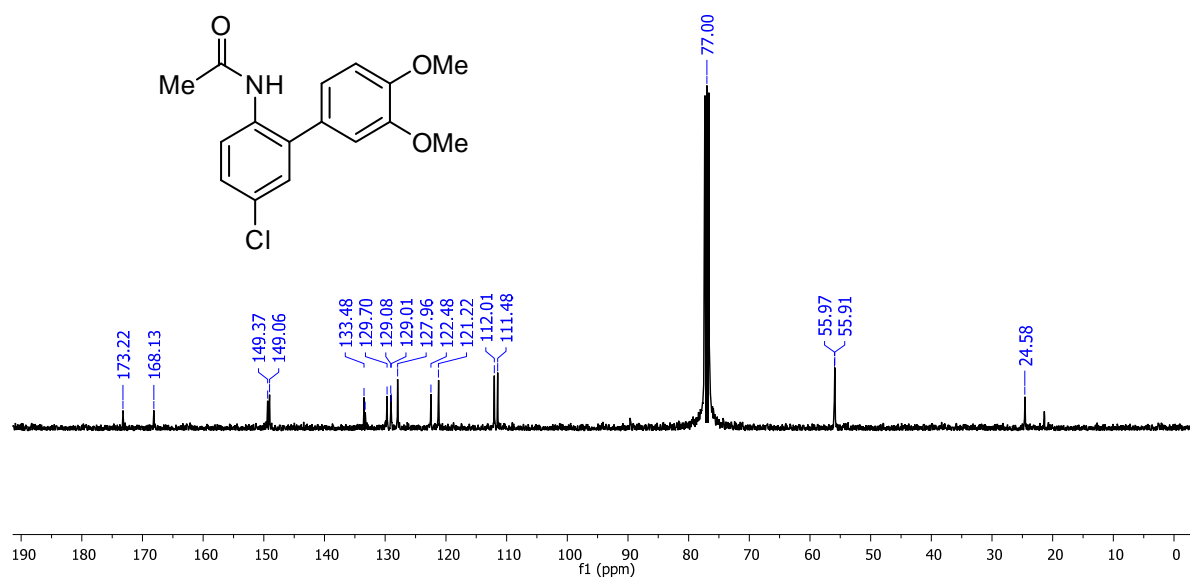
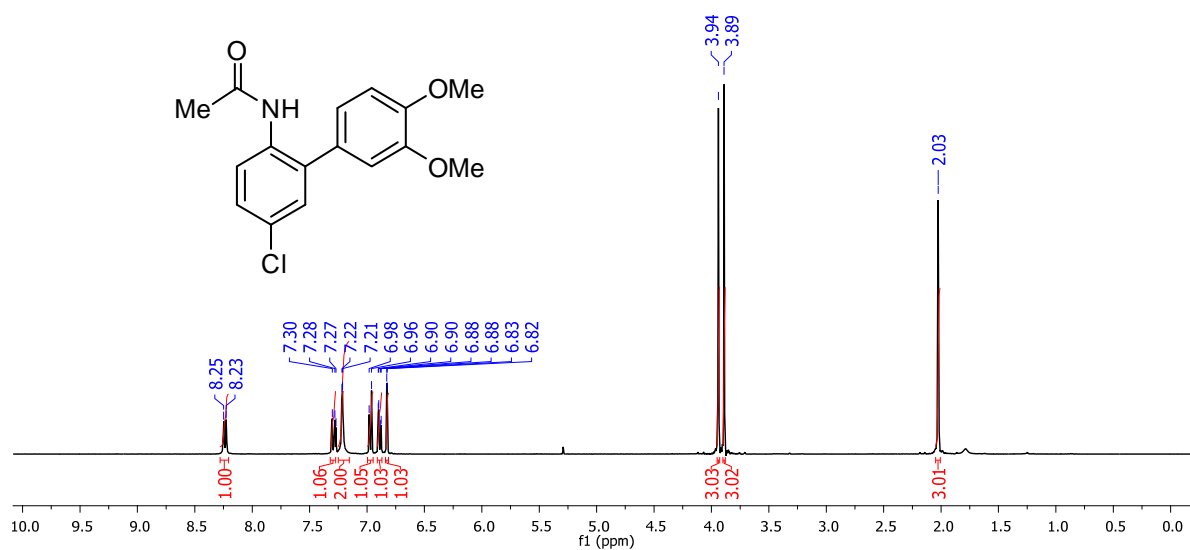
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3q**



### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3r**

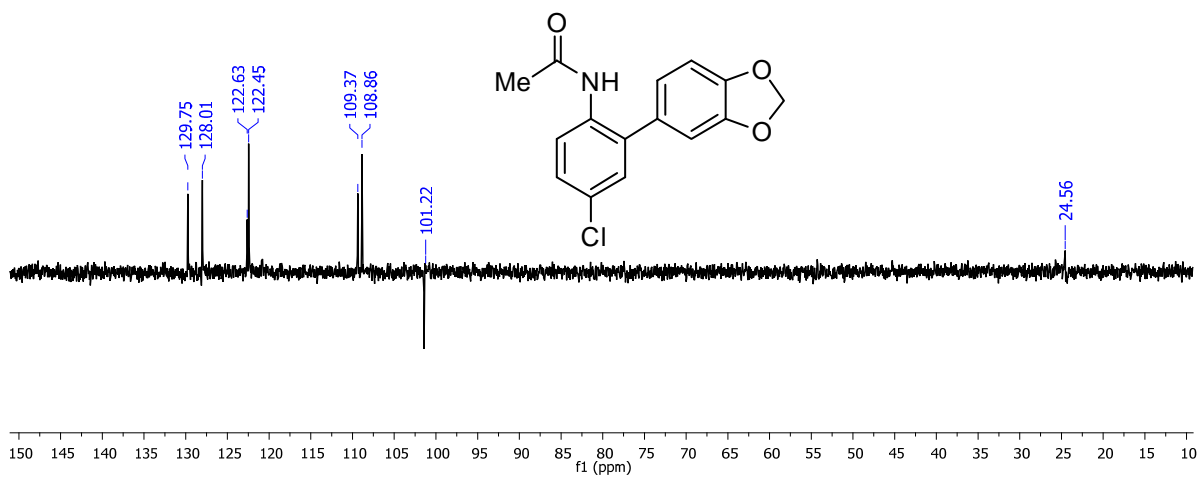
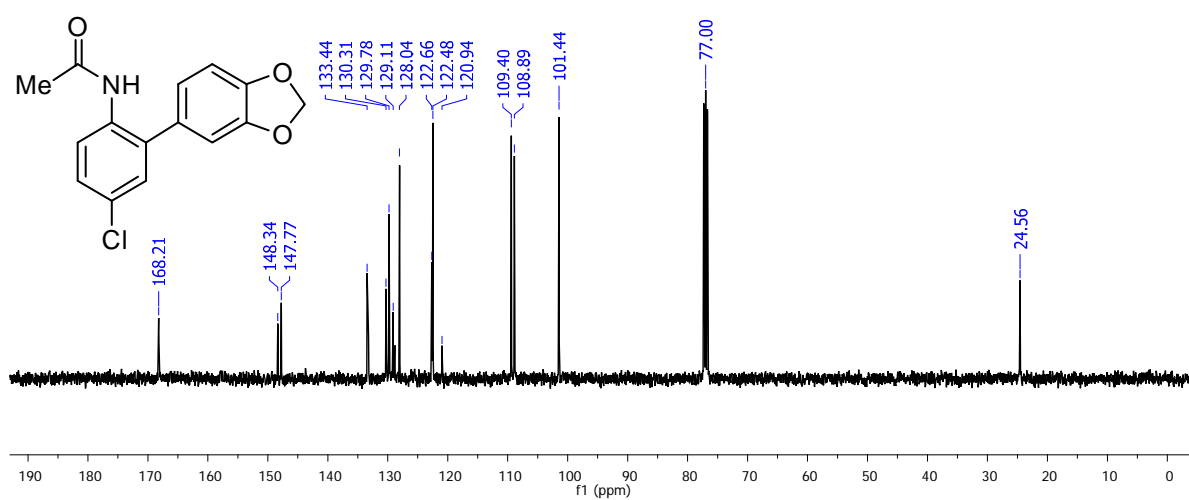
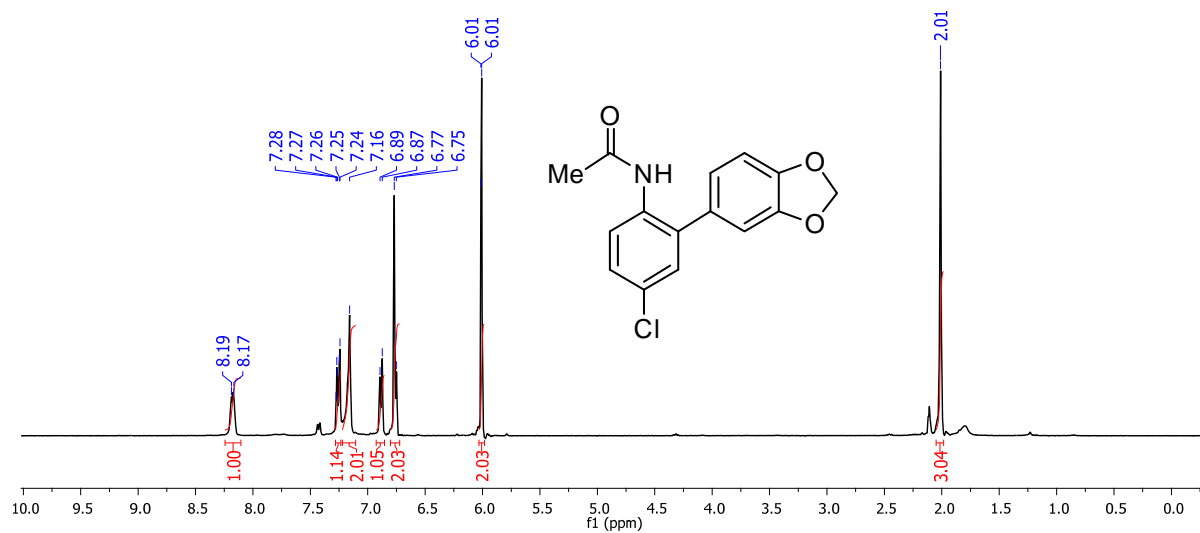


### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3s**

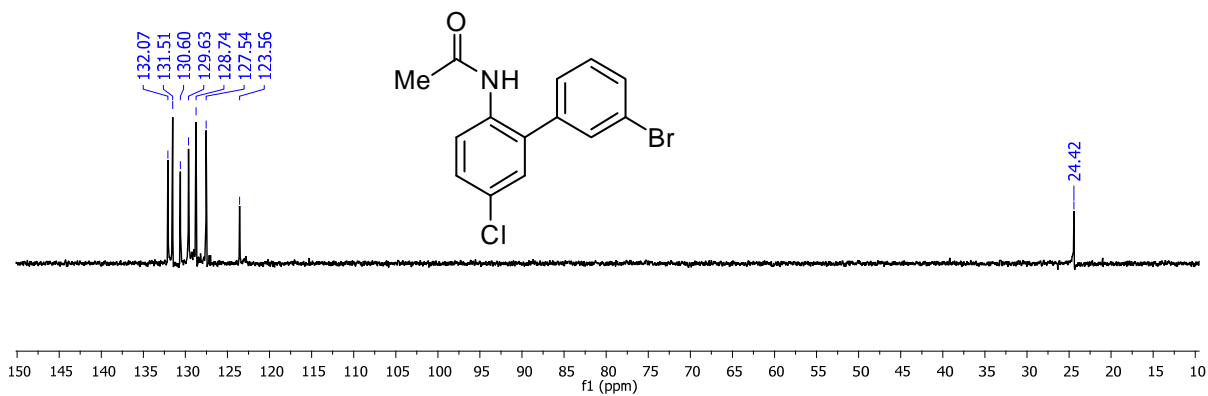
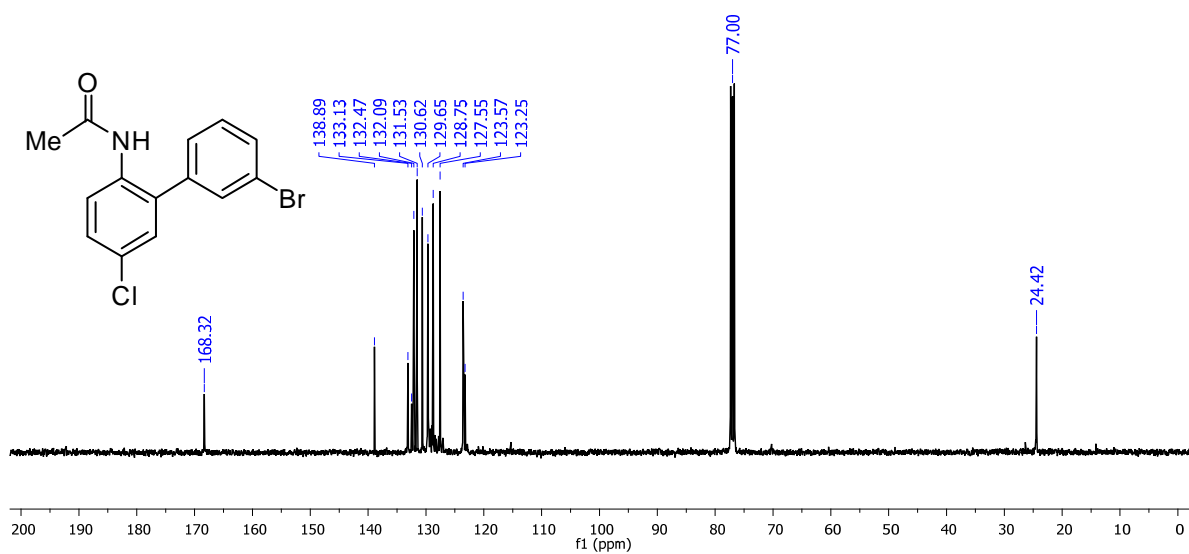
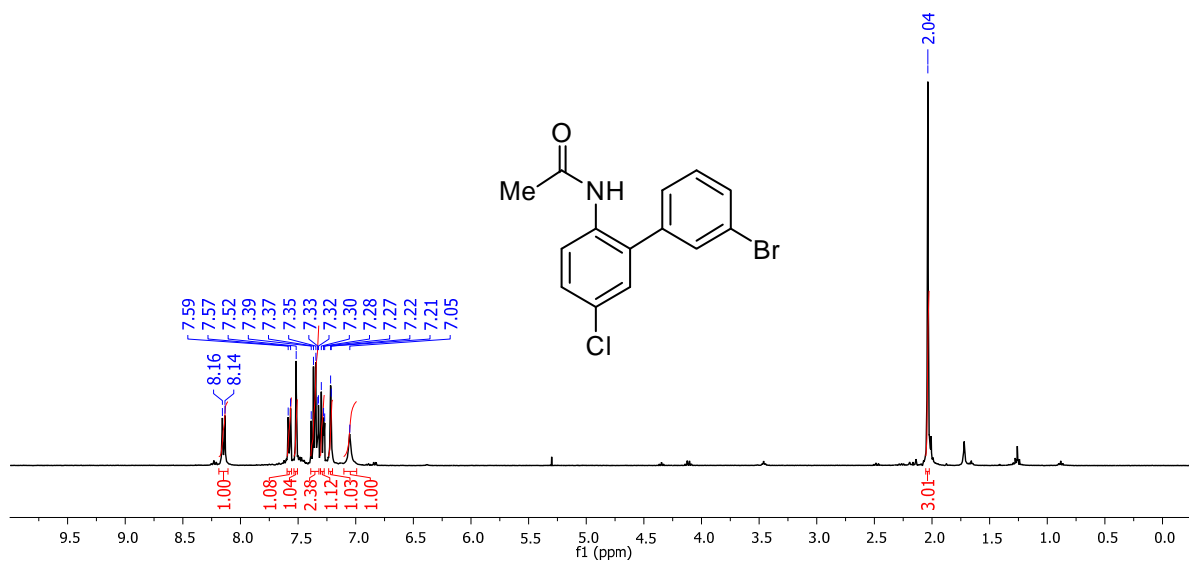




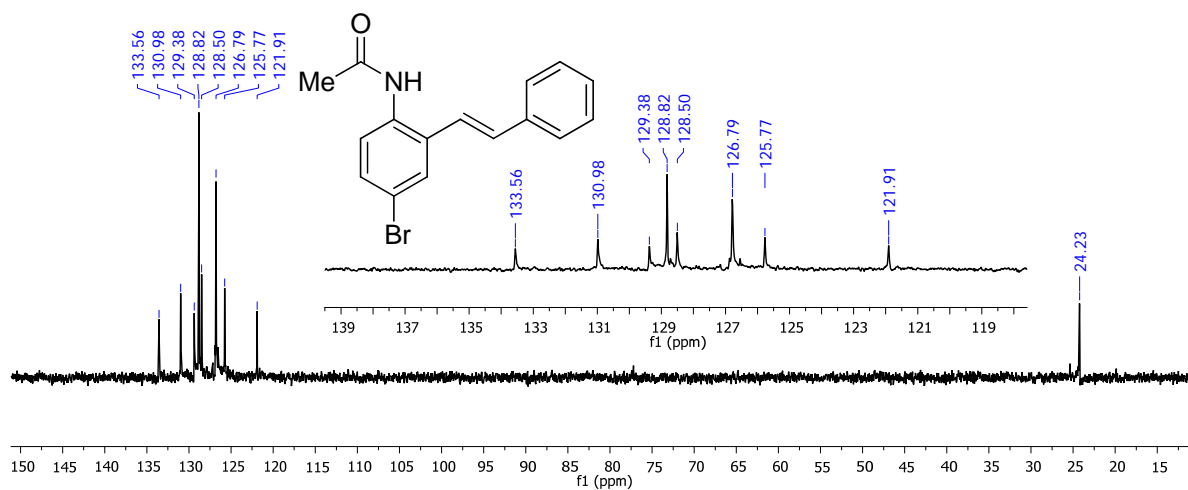
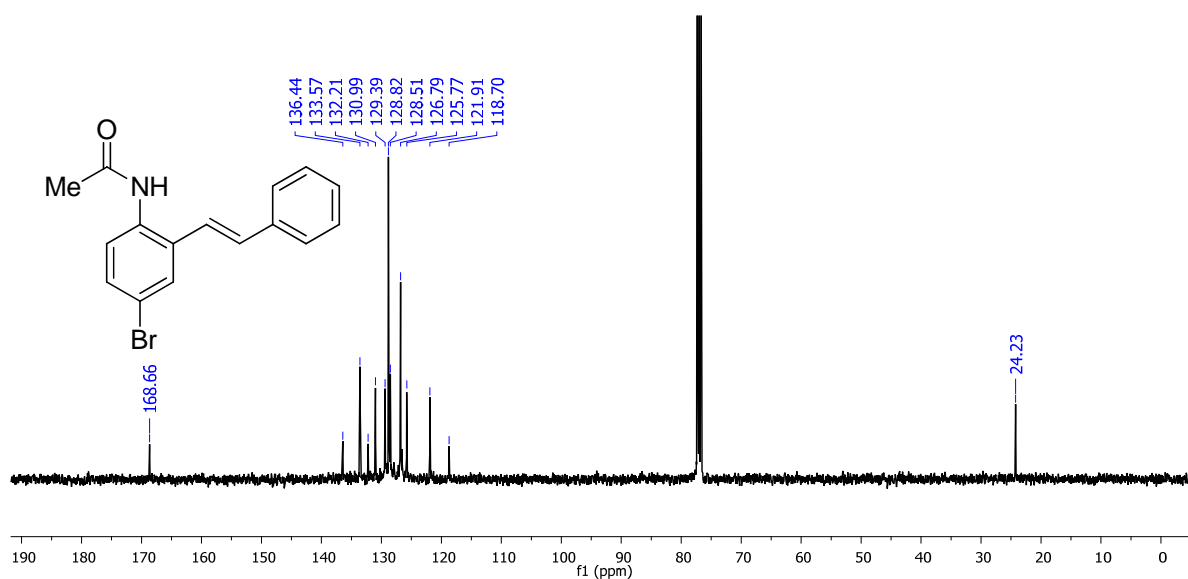
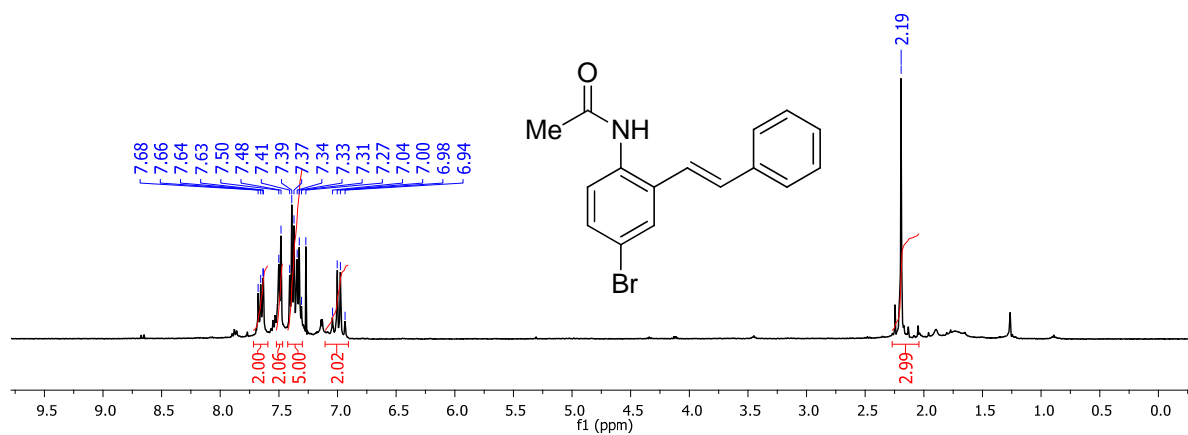
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3t**



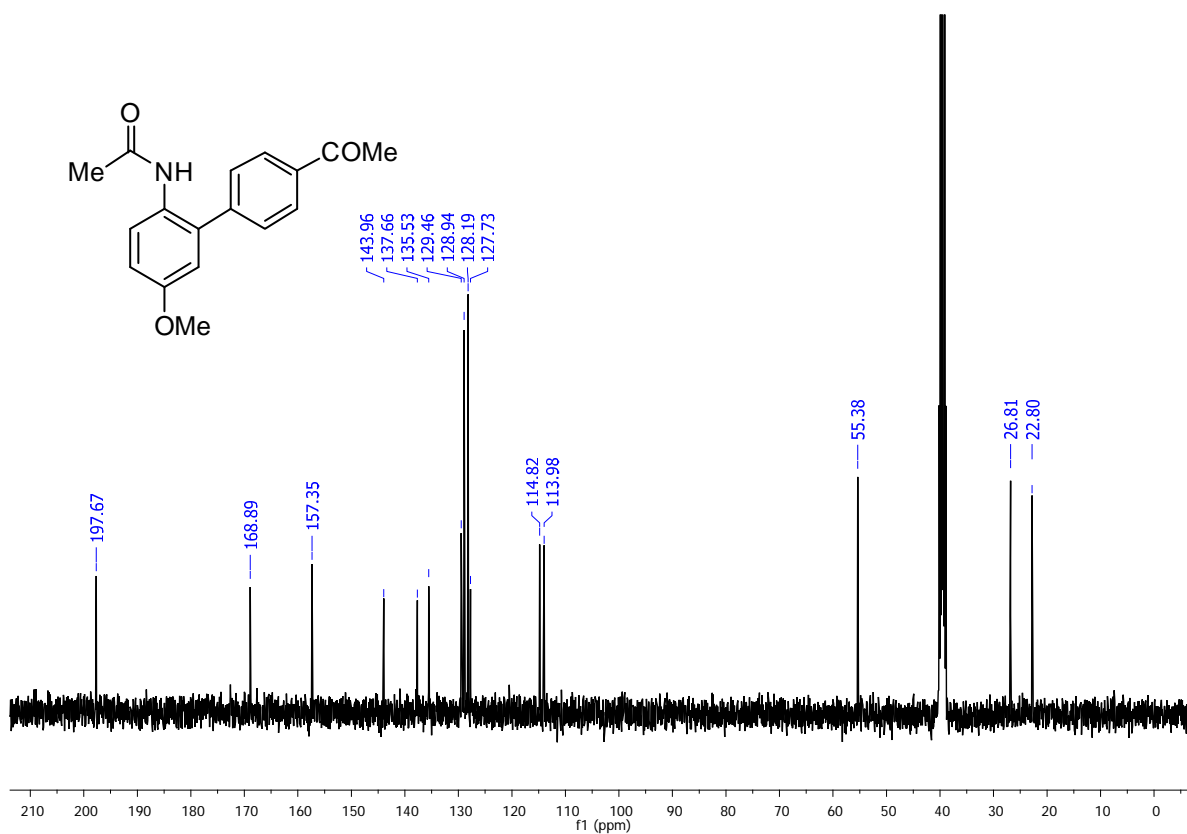
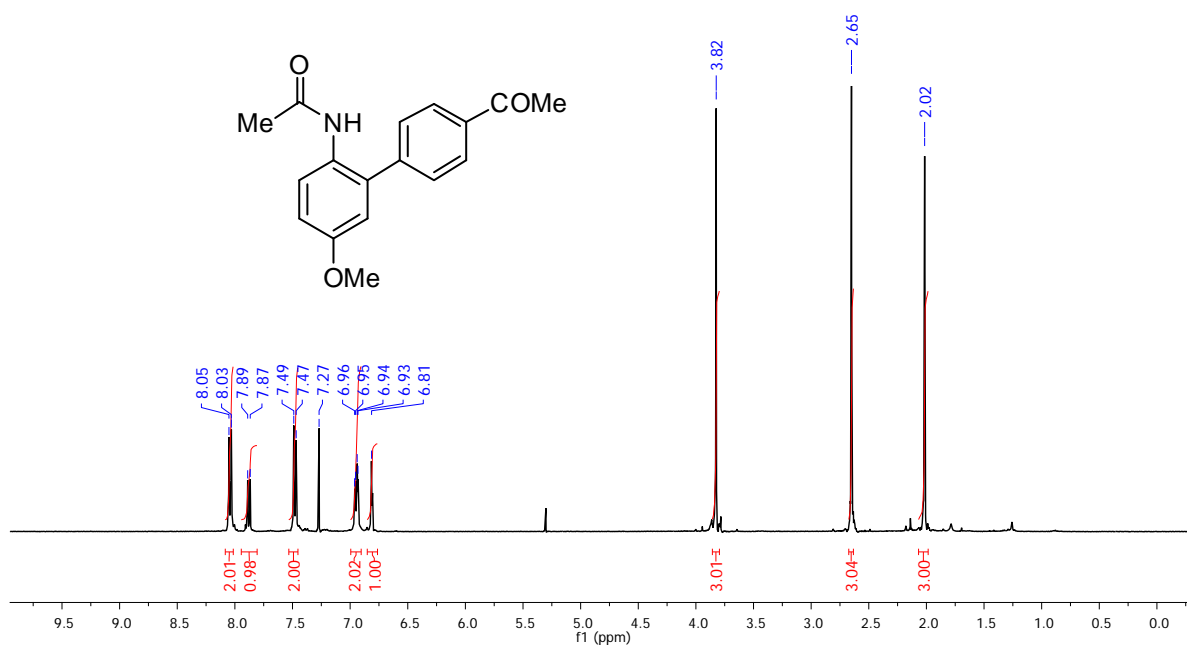
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3u**



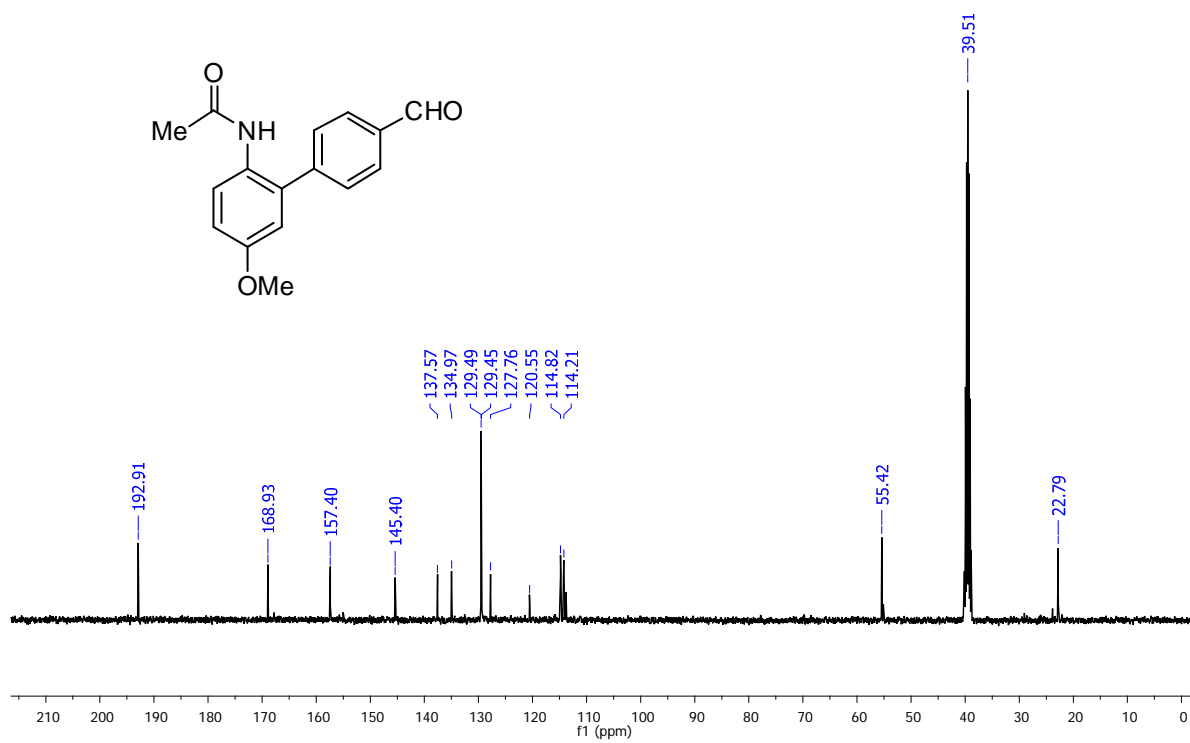
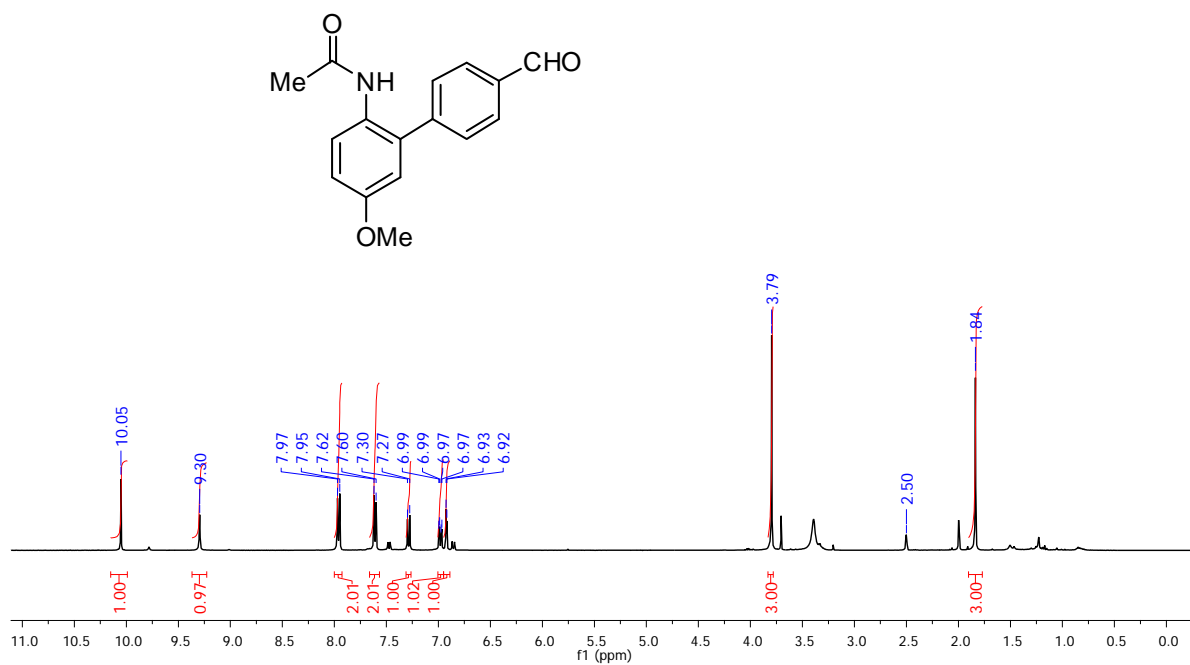
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3v**



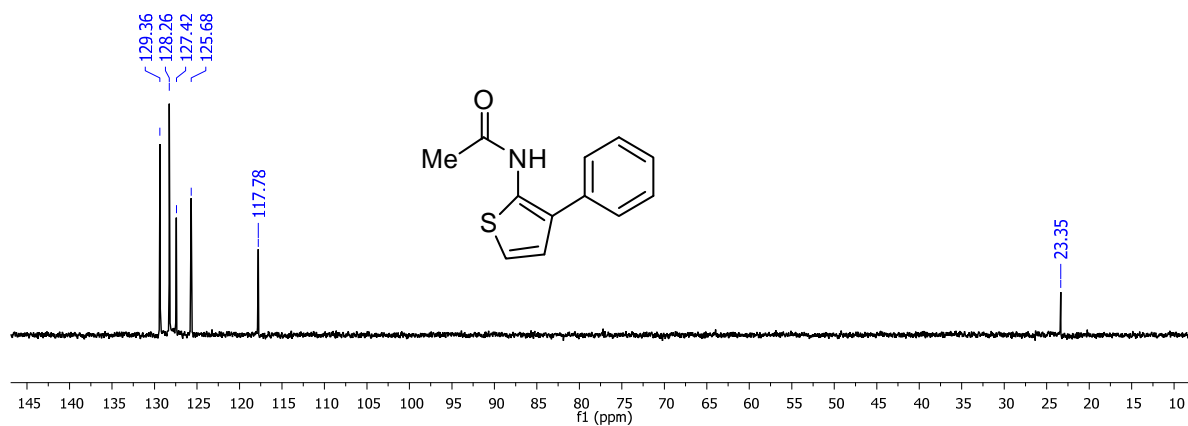
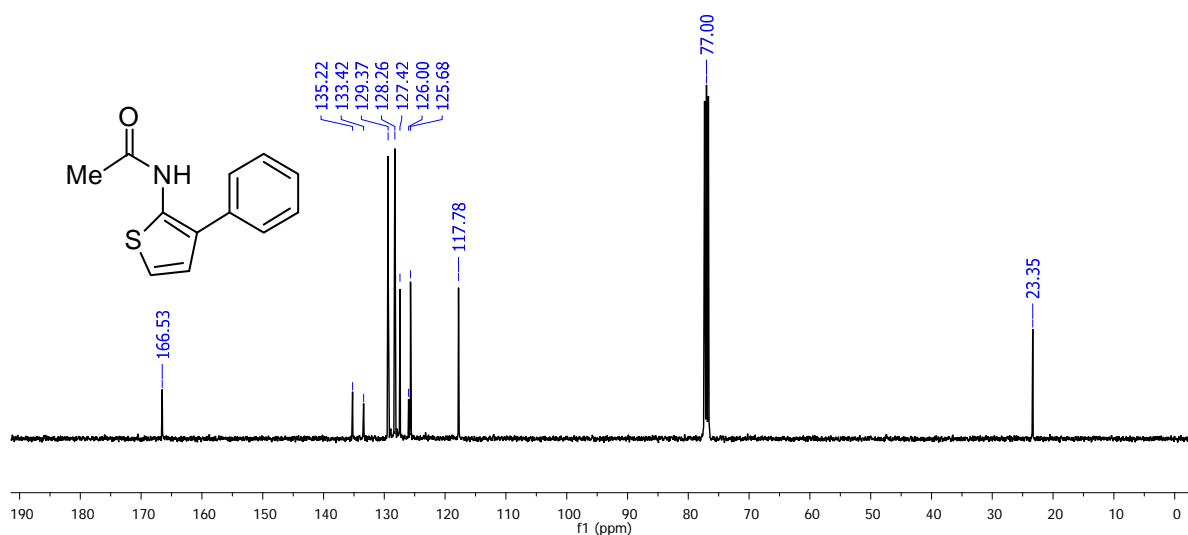
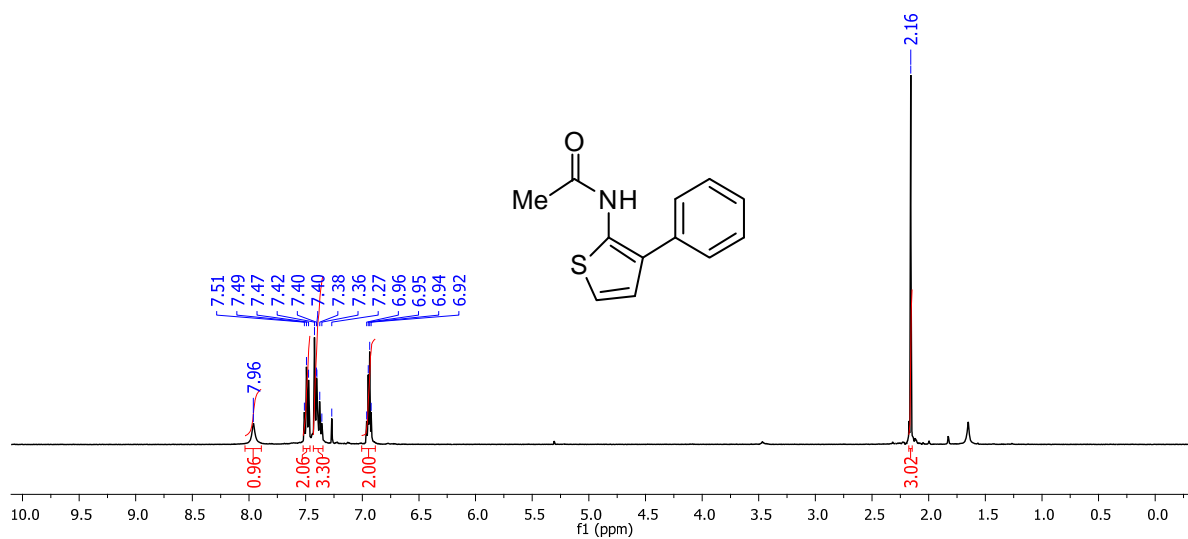
### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **3w**



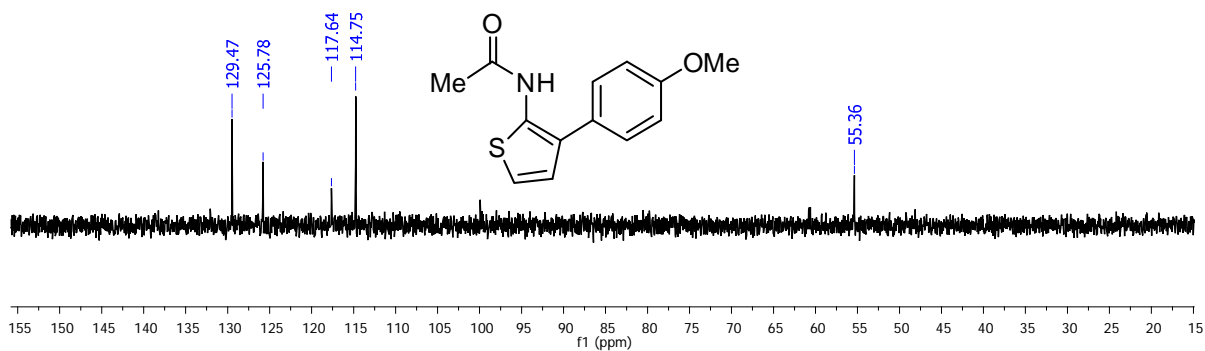
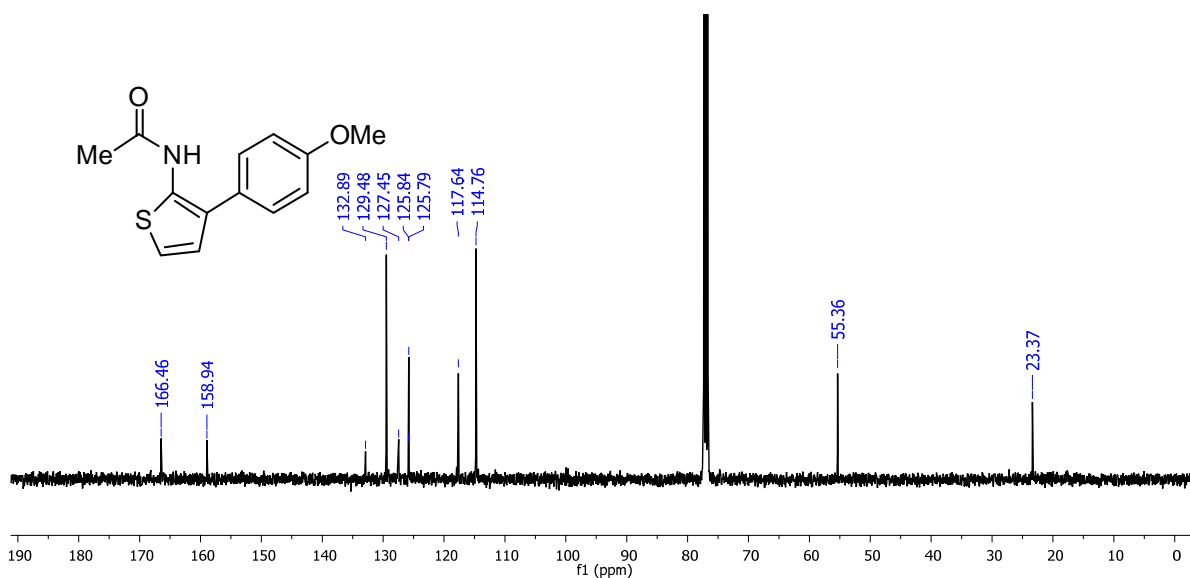
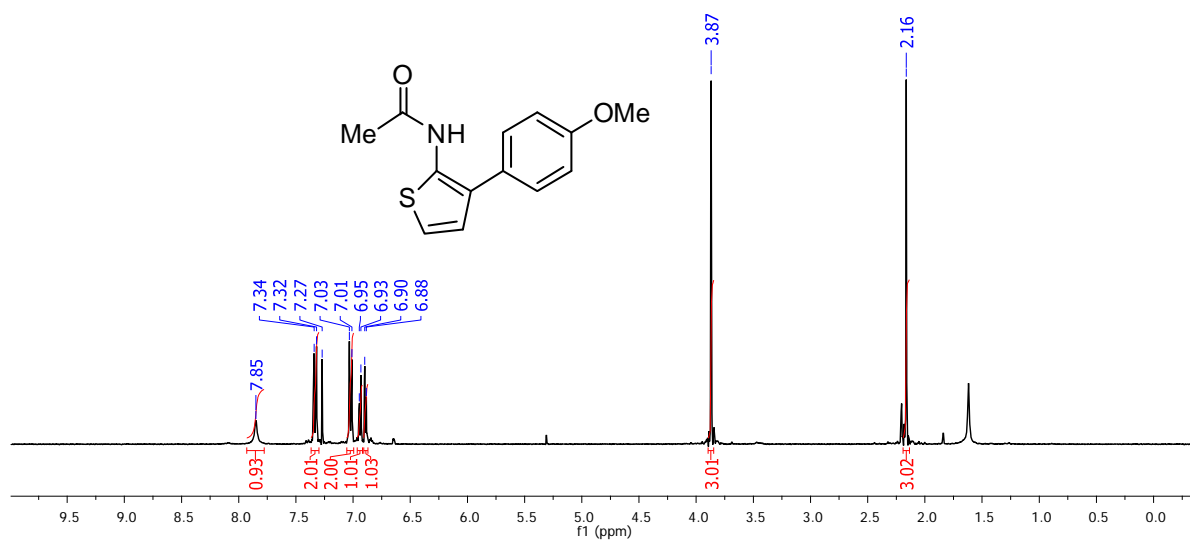
### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **3x**



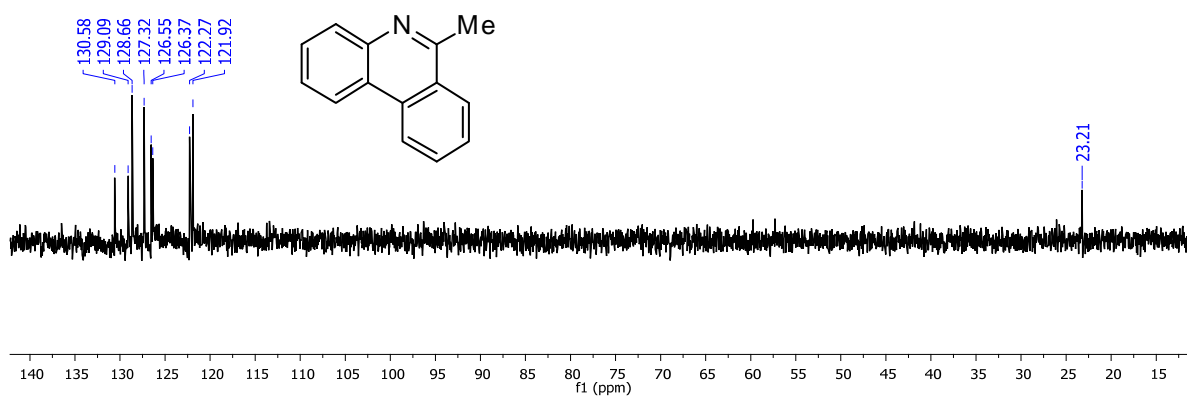
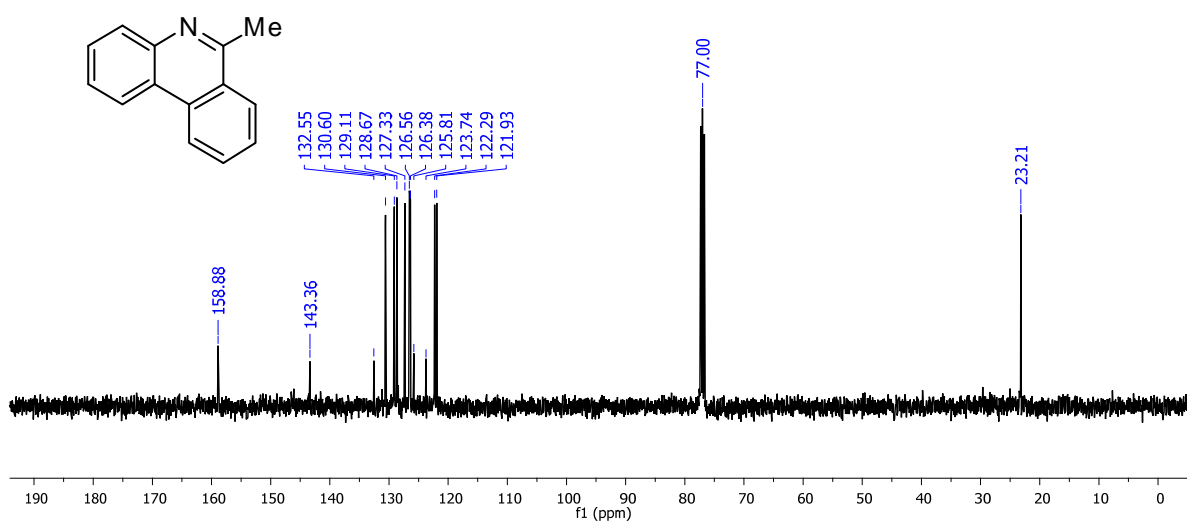
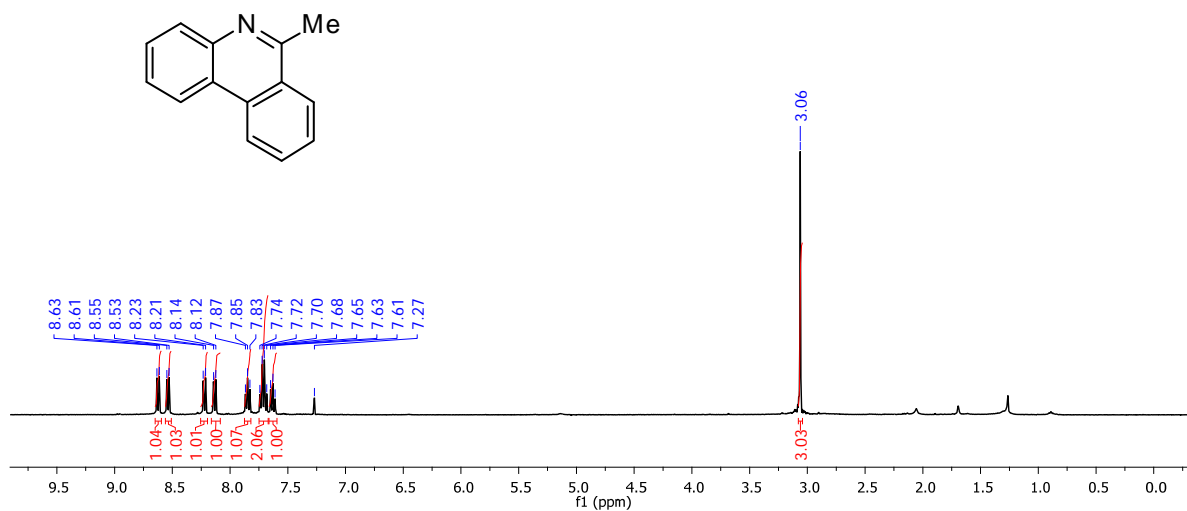
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3y**



### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **3z**

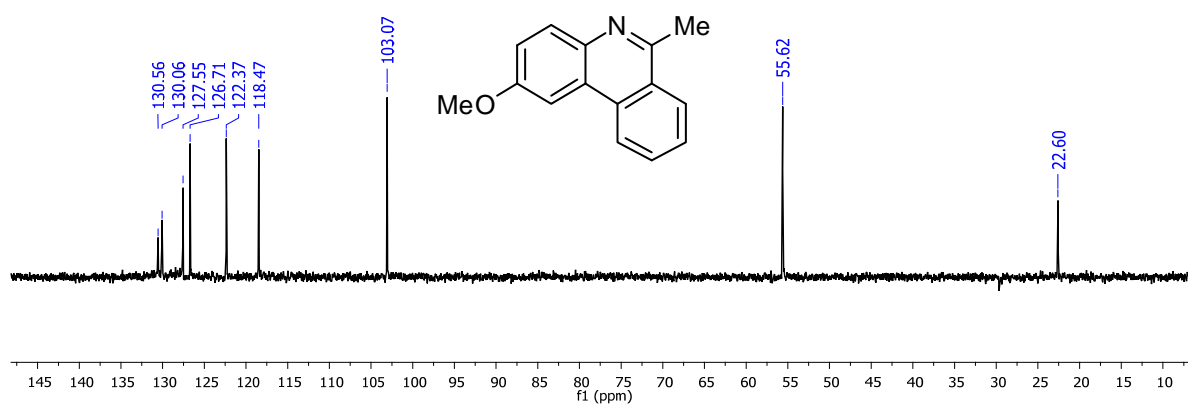
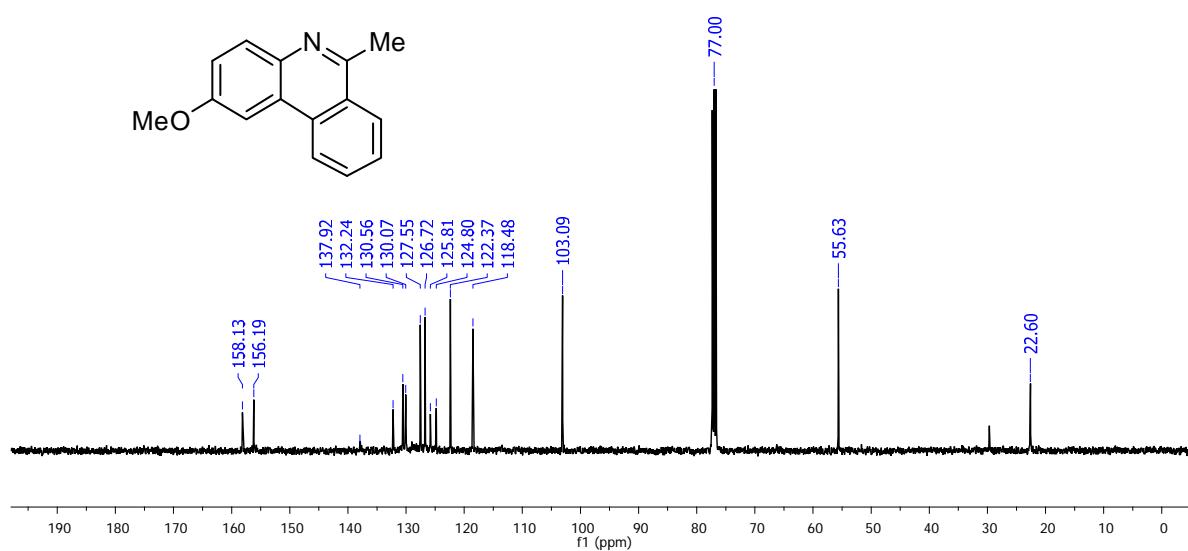
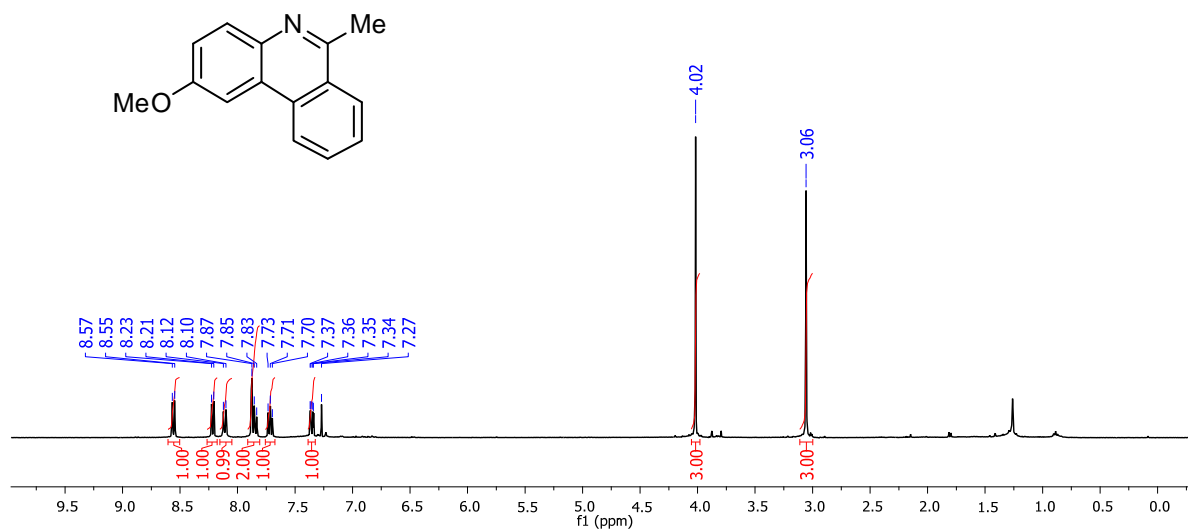


### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **4a**

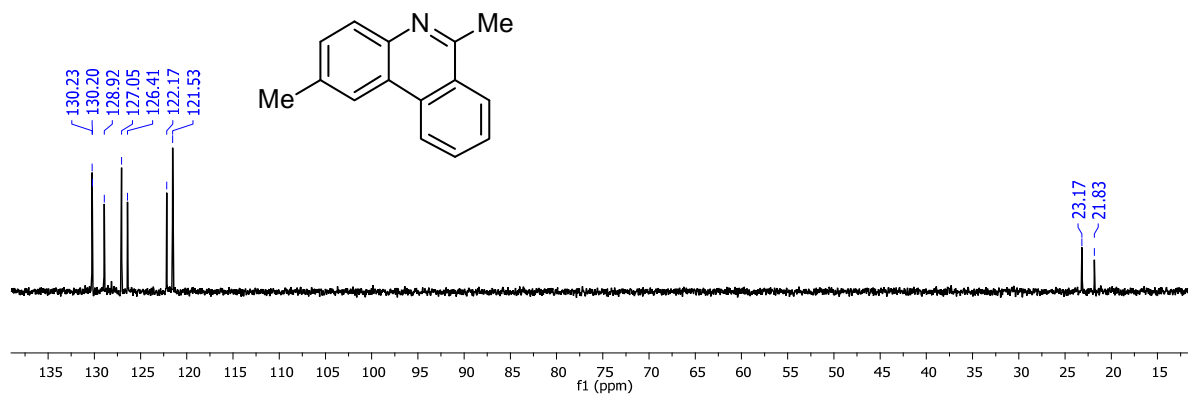
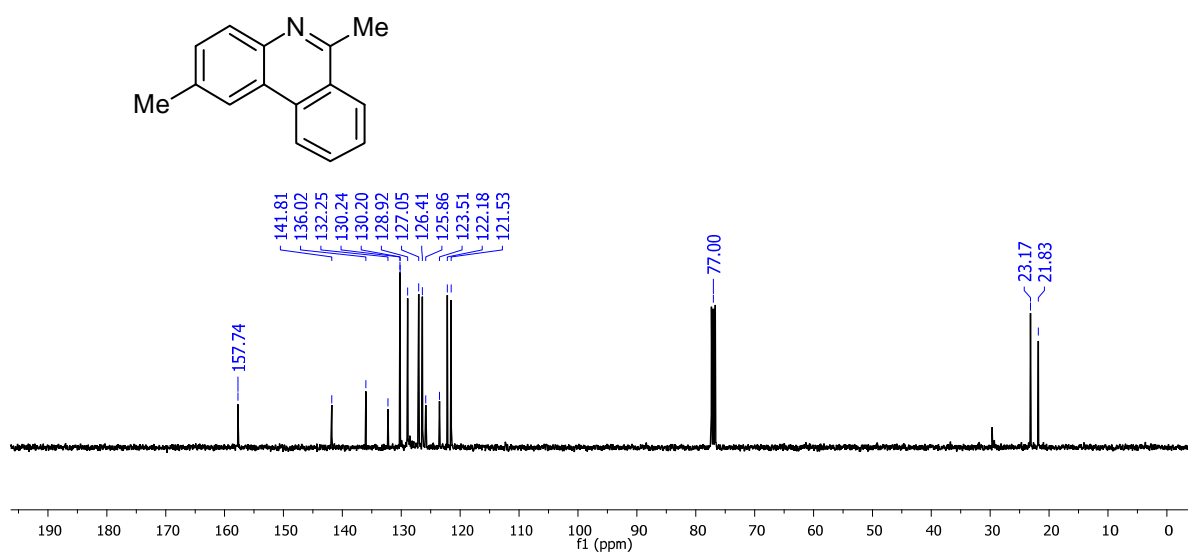
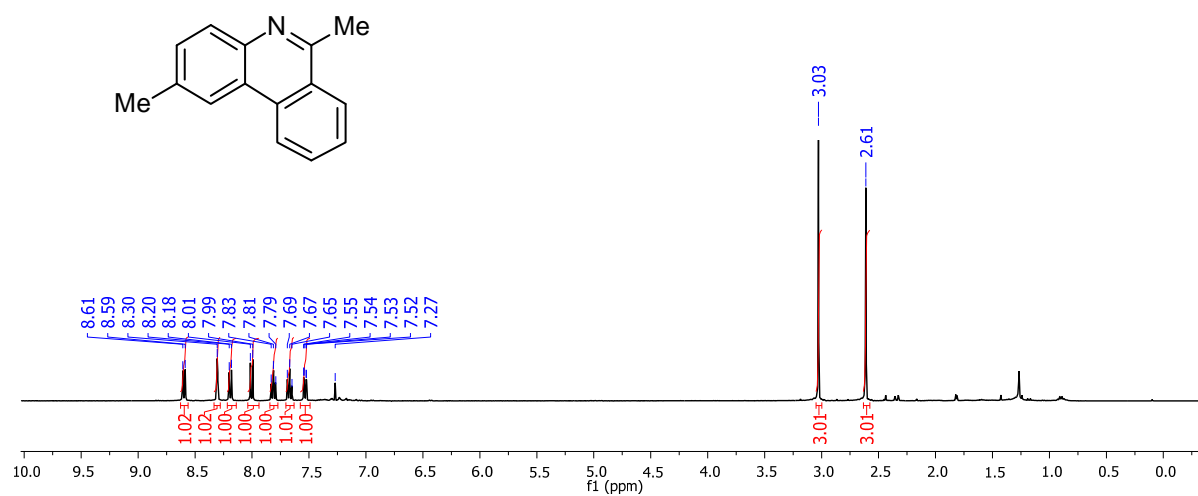




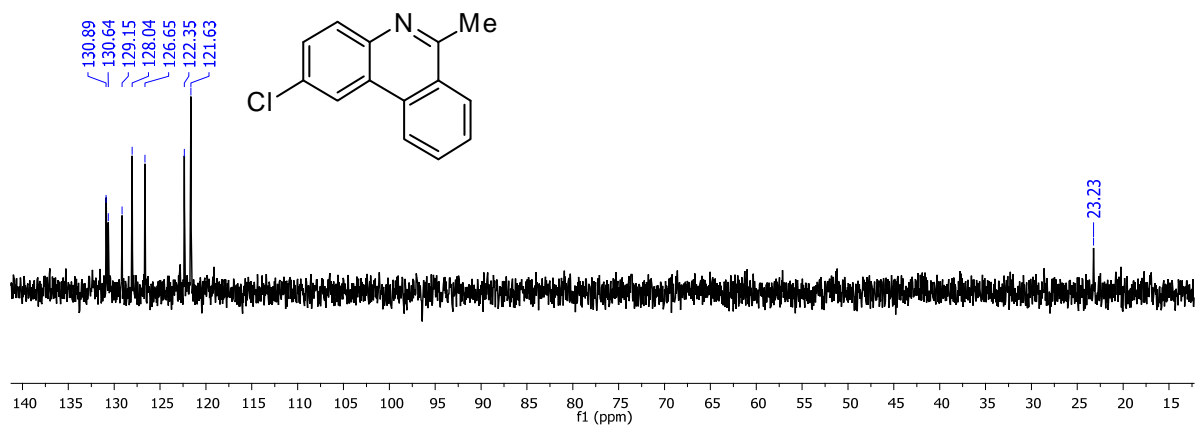
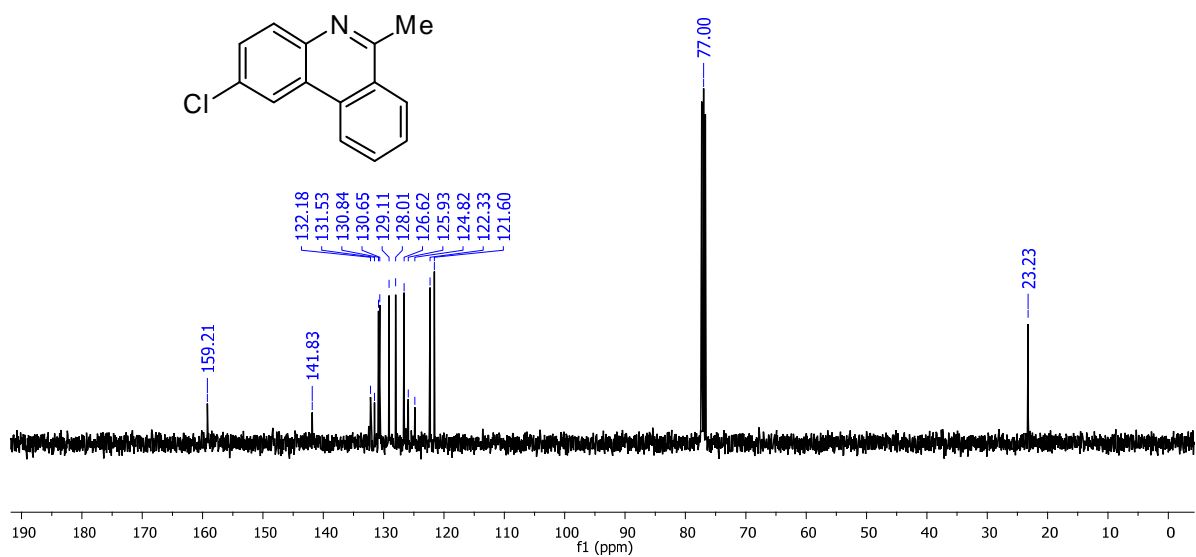
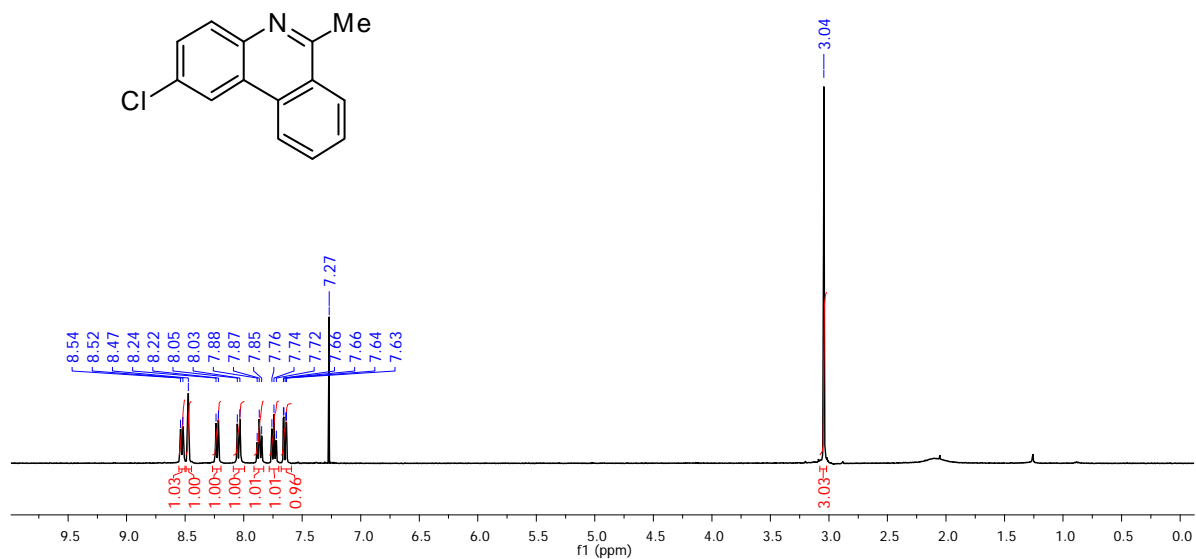
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **4b**



### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **4c**

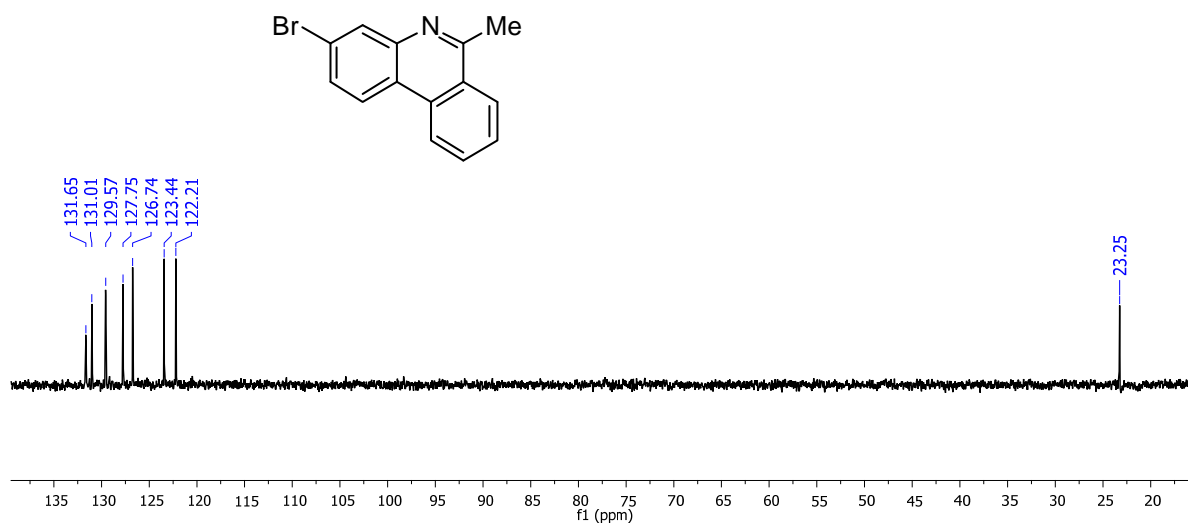
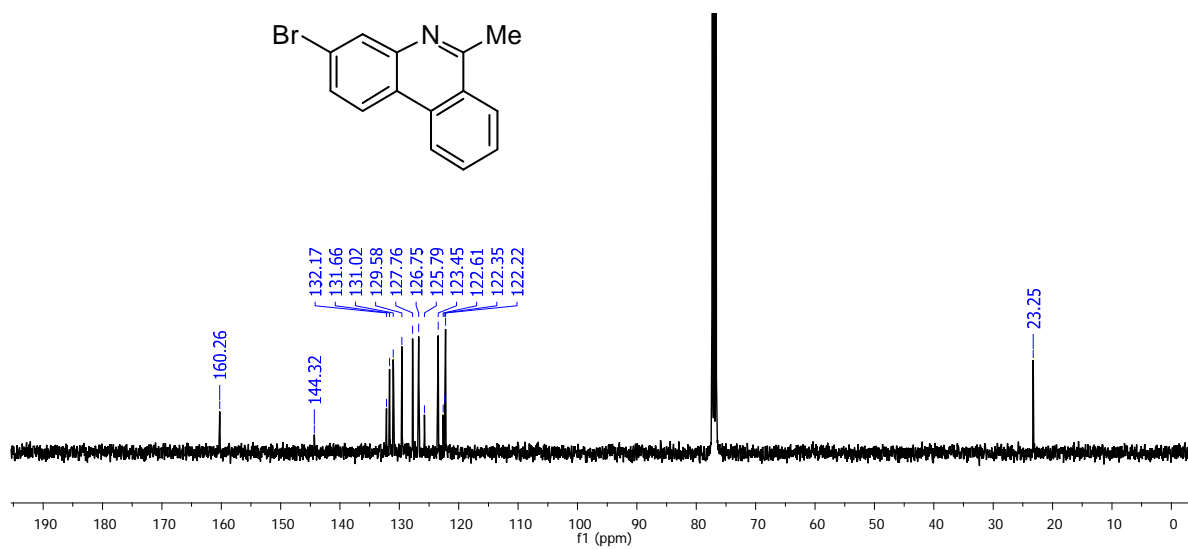
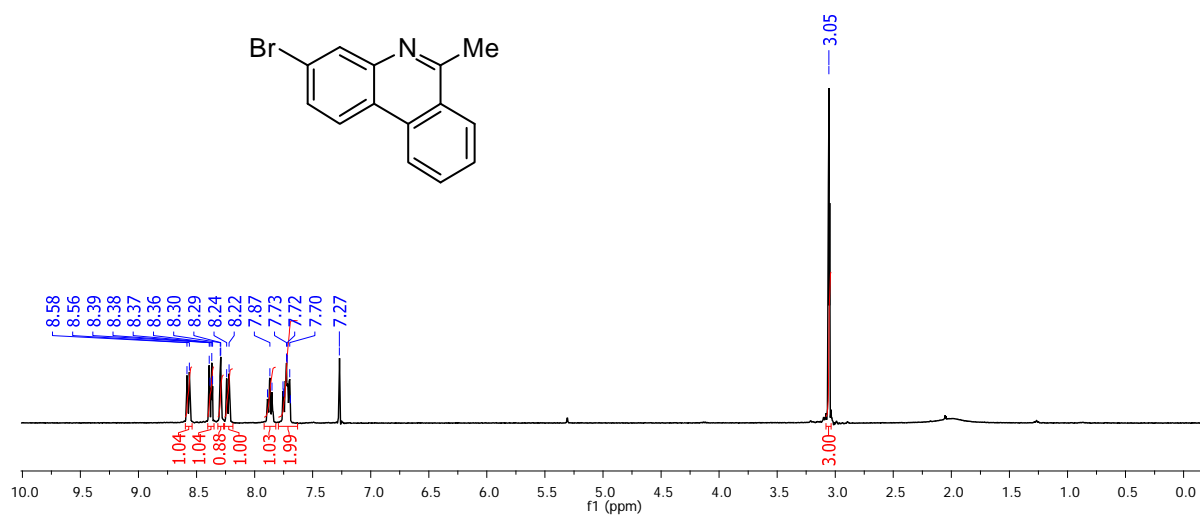


### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **4d**

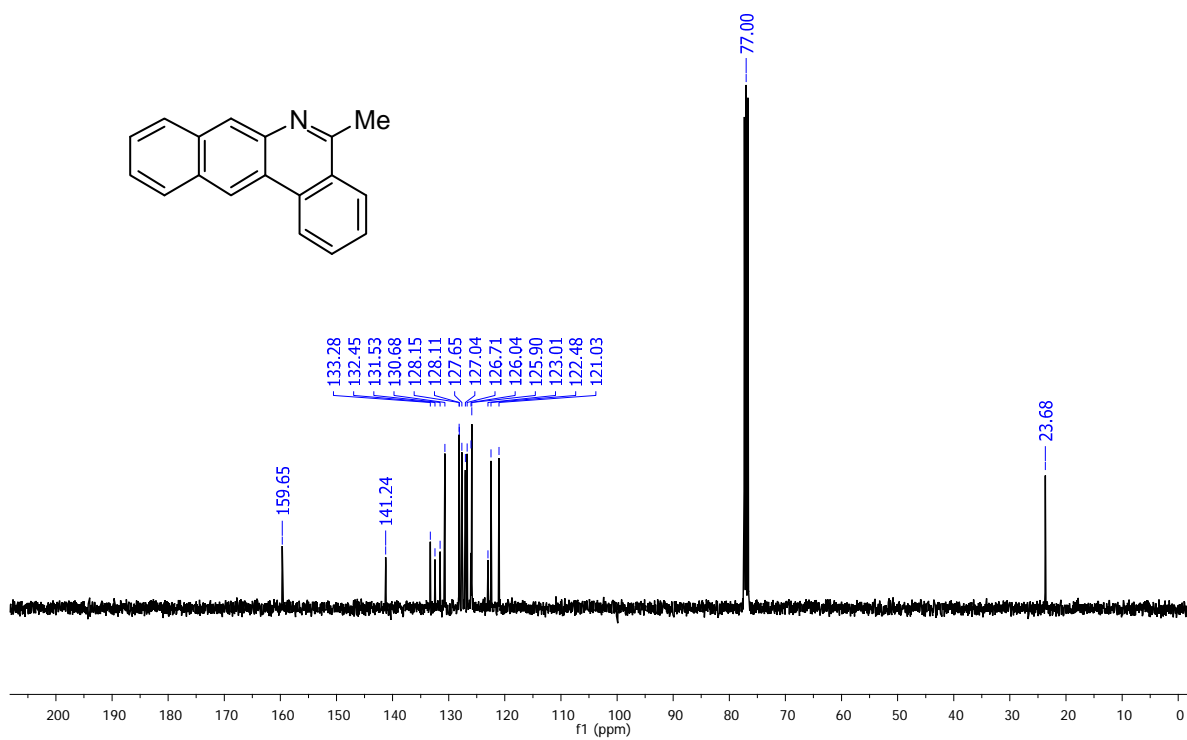
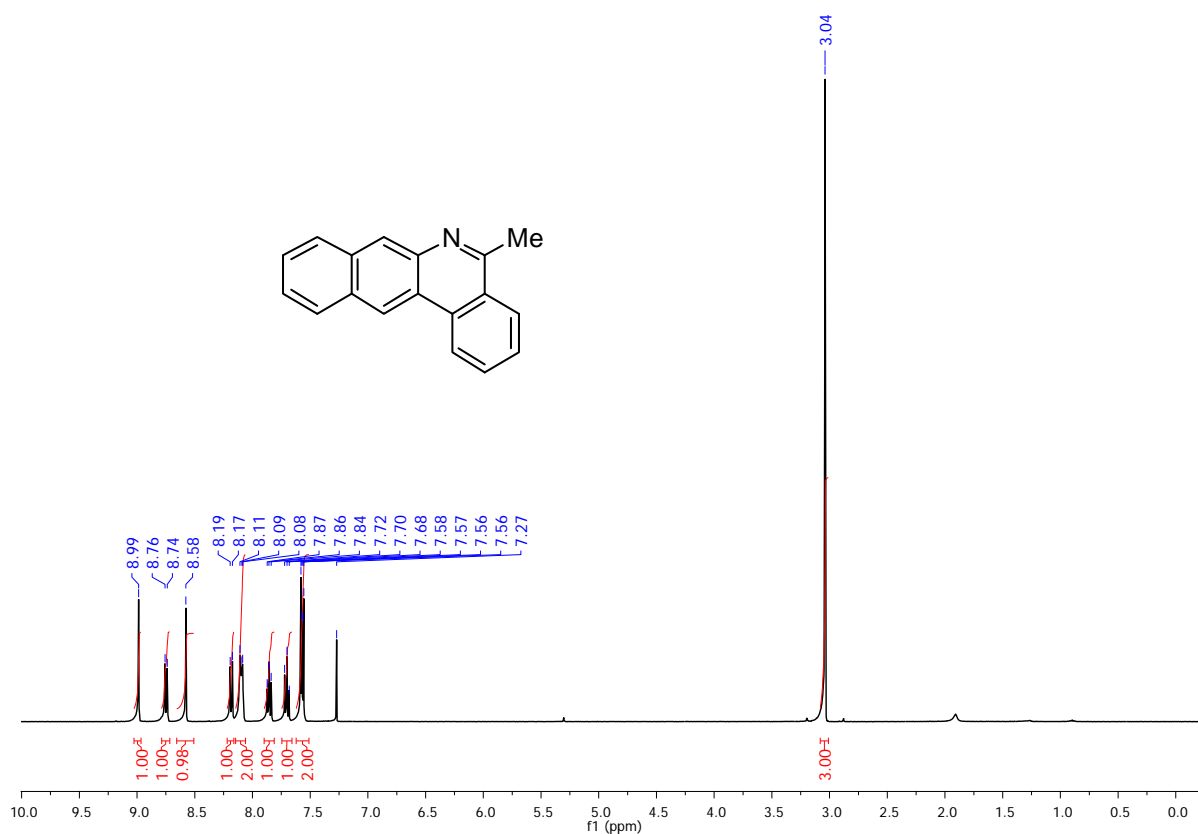




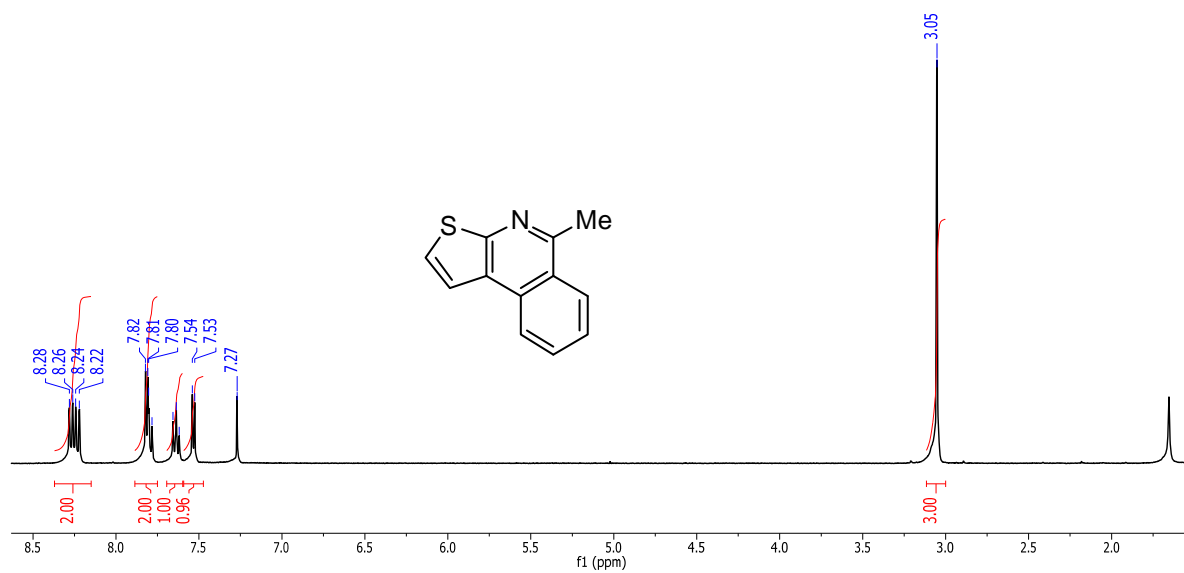
### $^1\text{H}$ , $^{13}\text{C}$ and DEPT NMR Spectra of Compound **4f**



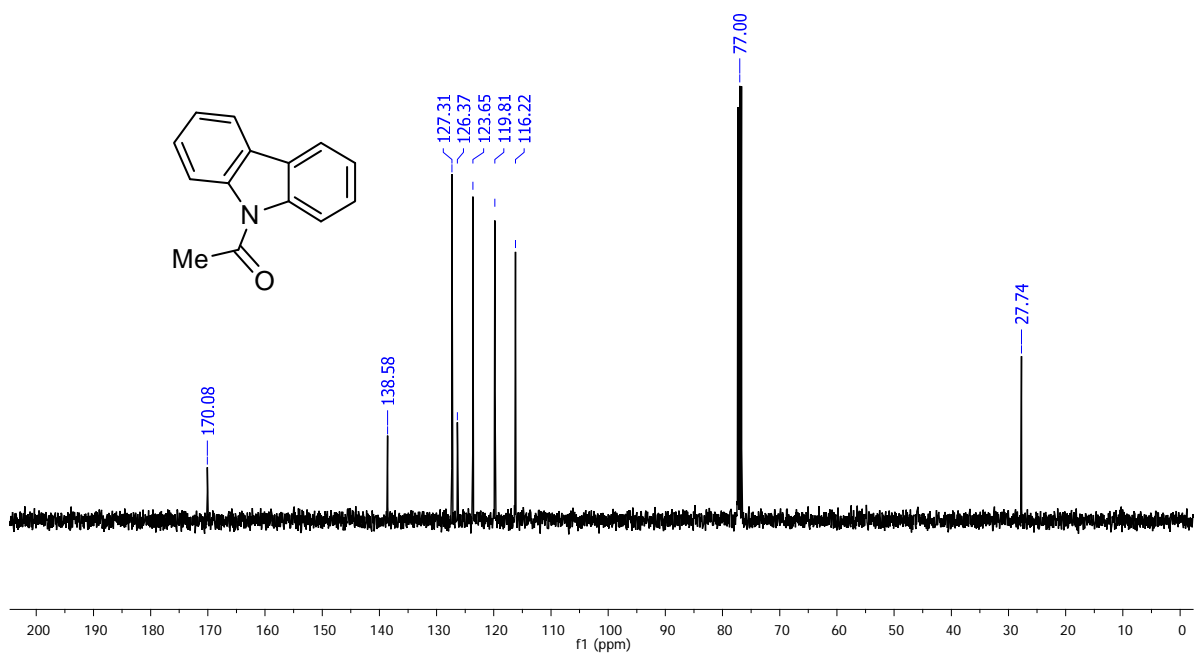
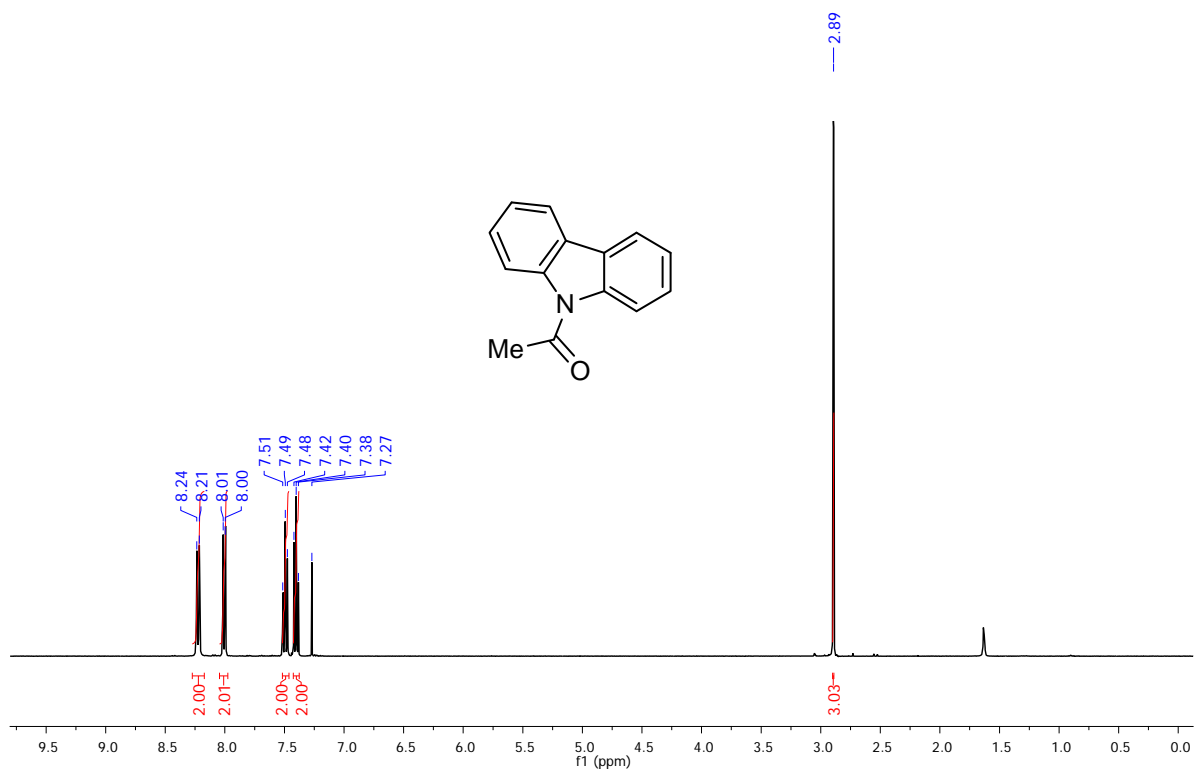
### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **4g**



### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **4h**.

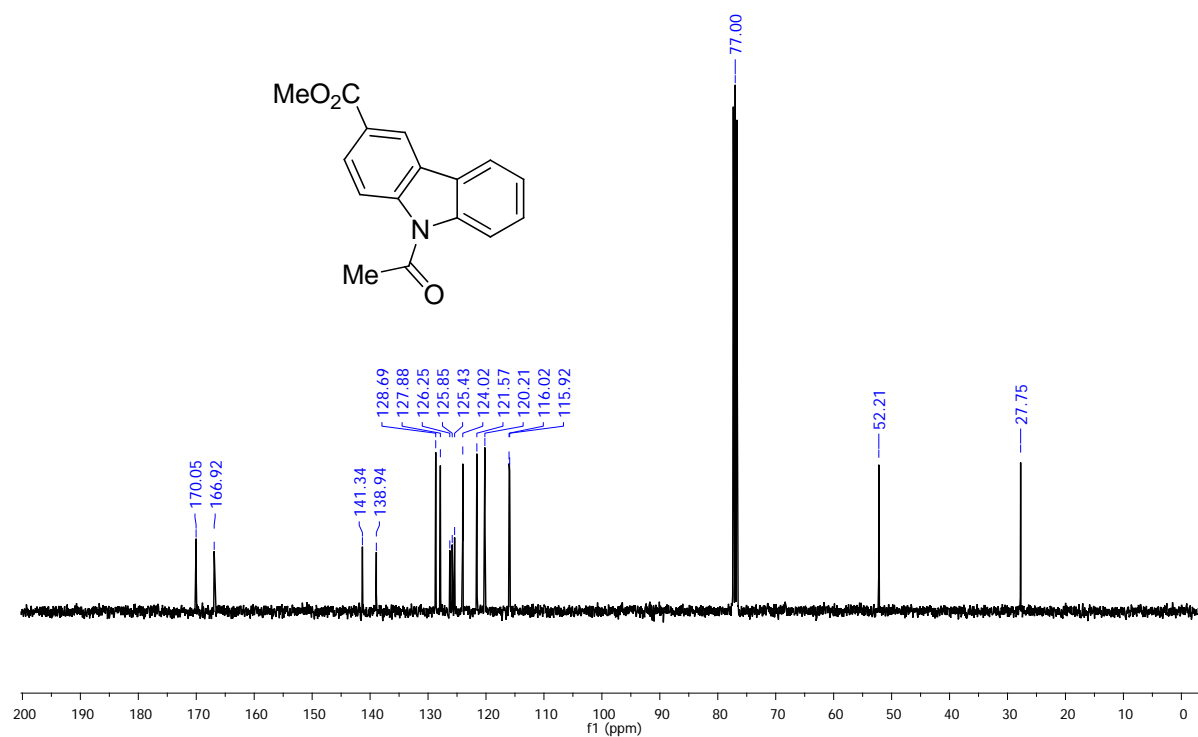
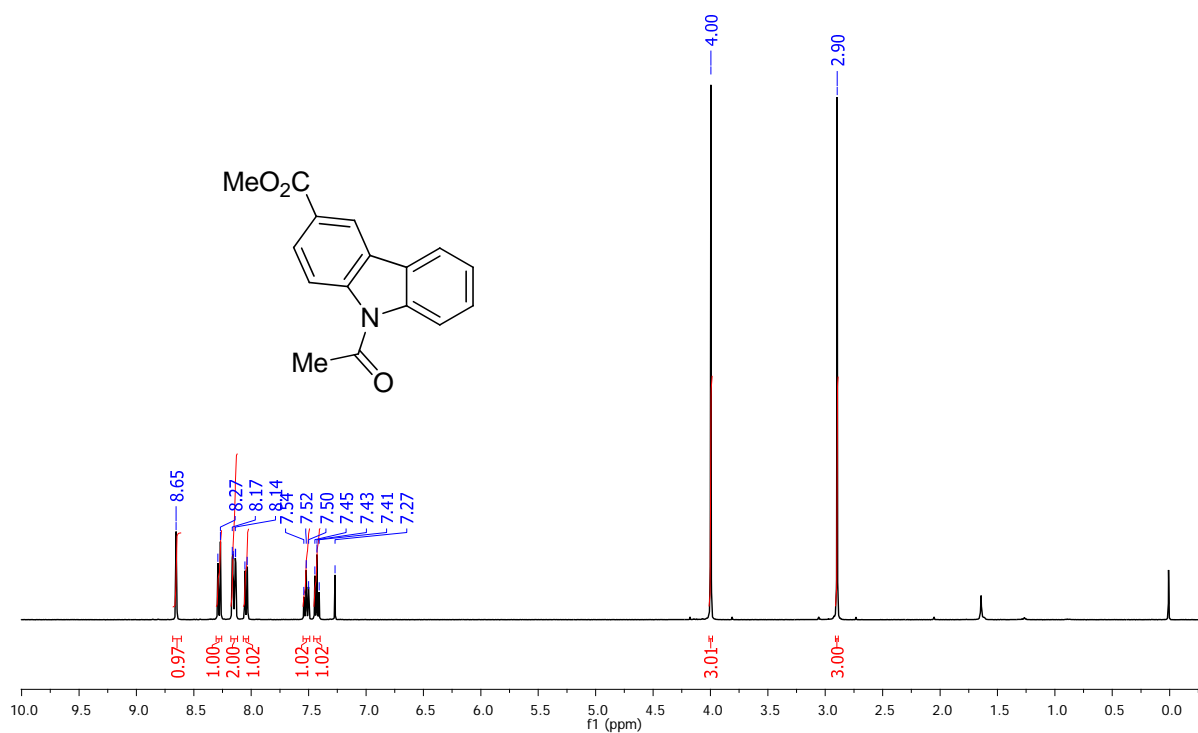


### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **5a**

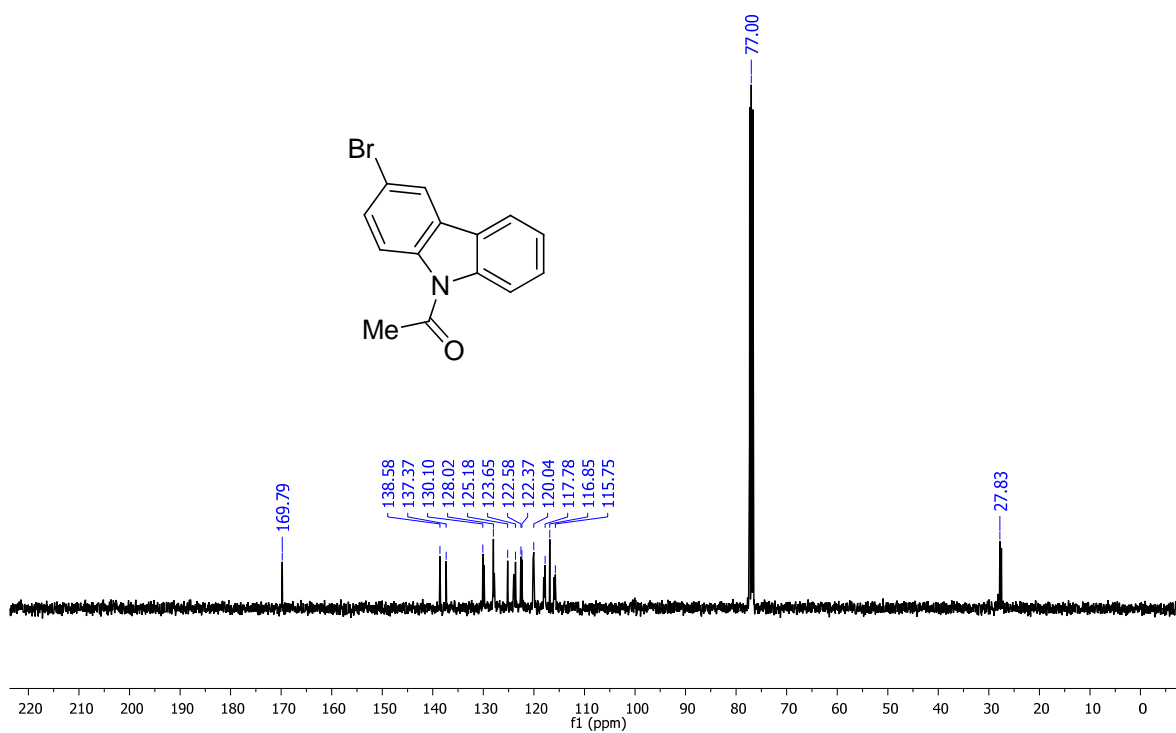
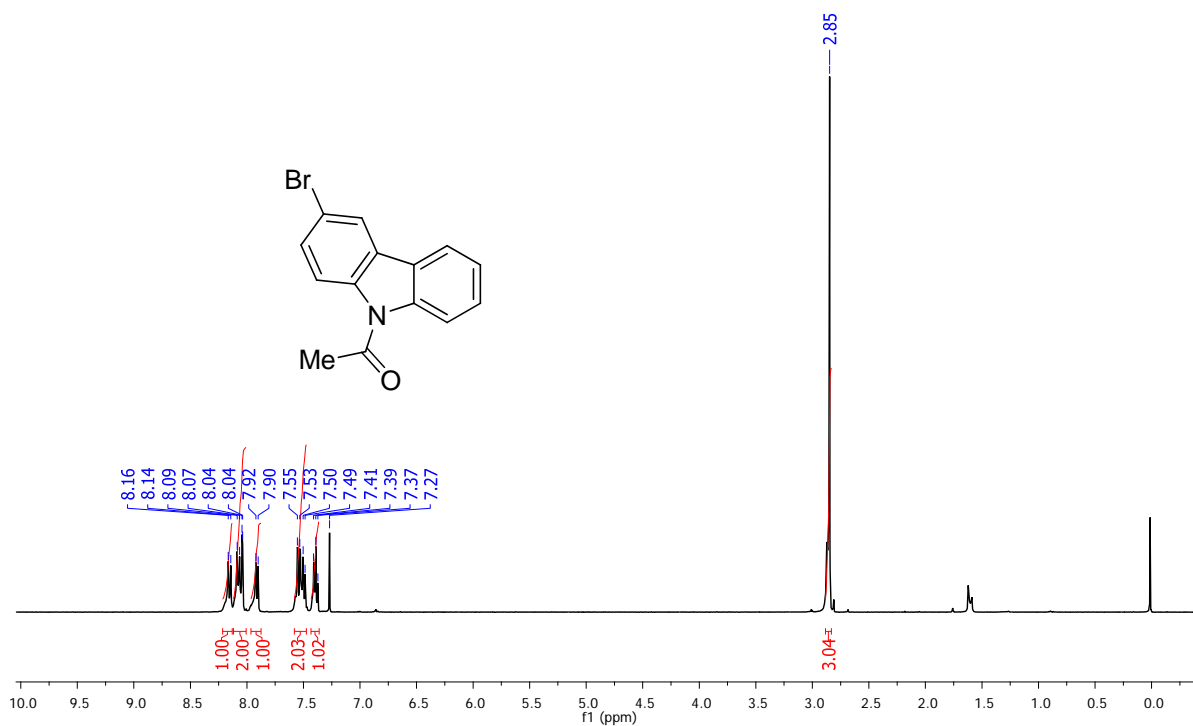




### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **5b**.



### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **5c**.



### $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound **5d**.

