

Supporting Information

Pyridine mediated transition-metal-free direct alkylation of anilines using alcohols via borrowing hydrogen conditions

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1. General Information:

All reactions were performed with dry argon or nitrogen atmosphere using standard schlenk techniques. All chemicals were purchased from Sigma-Aldrich, Alfa Aesar, Merck, Loba Chemie, and TCI. Unless otherwise mentioned, these were used without any further purifications. Potassium tert-butoxide sublimed grade, 99.99% trace metal basis (Product number 659878) were purchased from Sigma Aldrich. Deuterated chemicals were purchased from Sigma Aldrich. Proton nuclear magnetic resonance ($^1\text{H-NMR}$) spectra were recorded on a Bruker BBFO (500 MHz) spectrometer. Chemical shifts were recorded in parts per million (ppm, δ) relative to Tetramethylsilane (δ 0.00) or chloroform (δ = 7.26, singlet). Carbon nuclear magnetic resonance ($^{13}\text{C-NMR}$) spectra were recorded on a Bruker BBFO (126 MHz) spectrometer. Analytical thin-layer chromatography (TLC) was carried out on Merck 60 F254 pre-coated silica gel plate (0.2 mm thickness). GC analysis were carried out on an Agilent 7890B equipped with a HP-5 column (30 m x 0.32 μm x 0.25 μm). High resolution mass spectrometric analysis was carried out on an Agilent 6230B LC/MS (LC/TOF). For Column chromatography 100-200mesh silica gel used.

2. Reaction Optimization and Experimental Procedures

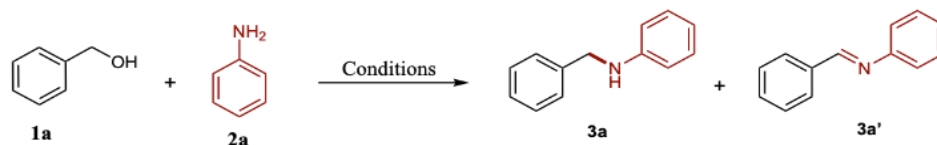


Table S1. Screening of Solvents

Entry	Solvent	GC Yield (%)	
		3a	3a'
1.	Toluene	99	0
2.	m-Xylene	40	27
3.	1,4-Dioxane	17	3
4.	DMF	0	3
5.	DMSO	1	9

Reaction Conditions: Benzyl alcohol (1 equiv, 0.92 mmol), Aniline (1.5 equiv, 1.38 mmol), Base (0.4 equiv, 0.37 mmol), Pyridine (0.4 equiv, 0.37 mmol), 135 °C, Argon, 12 h, Solvent (1 ml).

Table S2. Optimization of base and pyridine equivalents

Entry	Base (equiv)	pyridine (equiv)	GC Yield (%)	
			3a	3a'
1.	0.4	0.4	99	0
2.	0.4	0.3	83	4
3.	0.4	0.2	59	30
4.	0.4	0.1	12	24
5.	0.4	0.0	2	2
6.	0.3	0.4	71	18
7.	0.2	0.4	66	25
8.	0.1	0.4	8	14
9.	0.0	0.4	0	0

Reaction Conditions: Benzyl alcohol (1 equiv, 0.92 mmol), Aniline (1.5 equiv, 1.38 mmol), Base (x equiv, x mmol), pyridine (x equiv, x mmol), 135 °C, Argon, 12 h, Toluene (1 ml).

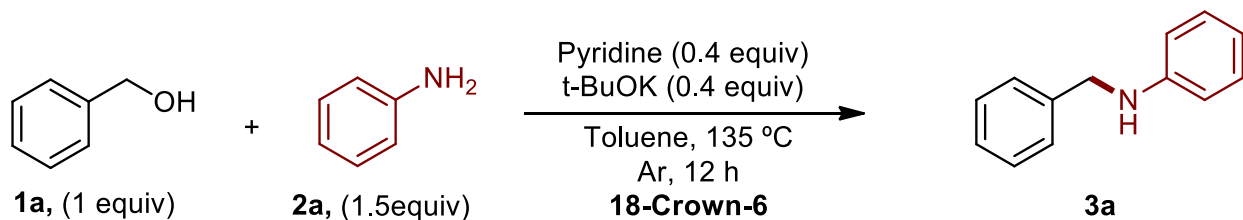
Table S3. Screening of Benzaldehyde and pyridine equivalents

Entry	Pyridine (equiv)	Benzaldehyde (equiv)	GC Yield (%)	
			3a	3a'
1.	0.0	0.0	0	0
2.	0.0	0.2	0	12
3.	0.0	0.3	0	26
4.	0.0	0.4	0	33
5.	0.2	0.0	56	30
6.	0.2	0.2	51	38
7.	0.2	0.3	47	44
8.	0.2	0.4	39	48
9.	0.3	0.0	83	4
10.	0.4	0.0	99	0

Reaction Conditions: Benzyl alcohol (1 equiv, 0.92 mmol), Aniline (1.5 equiv 1.38 mmol), Base (0.4 equiv, 0.37 mmol), Pyridine (x equiv, x mmol), Benzaldehyde (x equiv, x mmol), Toluene 1 ml, 135 °C, Argon, 12 h

a. Control experiment with 18-Crown-6:

In a 15 ml oven dried Pressure tube t-BuOK (0.37 mmol, 0.4 equiv), Amine (1.38 mmol, 1.5 equiv), Alcohol (0.92 mmol, 1 equiv), Pyridine (0.37 mmol, 0.4 equiv), 18-Crown-6 were added followed by dry Toluene (1 ml) under an argon atmosphere. The reaction mixture kept in preheated oil bath at 135 °C for 12h.



without 18-Crown-6 3a isolated yield 95%
with 50 mol% 18-Crown-6 3a Nil
with 100 mol% 18-Crown-6 3a Nil

Scheme S1: Metal-ion-sequestering experiments with 18-crown-6.

b. General procedure for the pyridine mediated N-alkylation of anilines with benzyl alcohol:

In a 15 ml oven dried Pressure tube t-BuOK (0.37 mmol, 0.4 equiv), Amine (1.38 mmol, 1.5 equiv), Alcohol (0.92 mmol, 1 equiv), Pyridine (0.37 mmol, 0.4 equiv) were added followed by dry Toluene (1 ml) under an argon atmosphere. The reaction mixture kept in preheated oil bath at 135 °C for 12h. The Reaction mixture was cool down to room temperature and 3 ml of Dichlorormethane (DCM) added and concentrated through in reduced pressure. The crude product was purified through Column chromatography using hexane: ethyl acetate as an eluent system to give desired product.

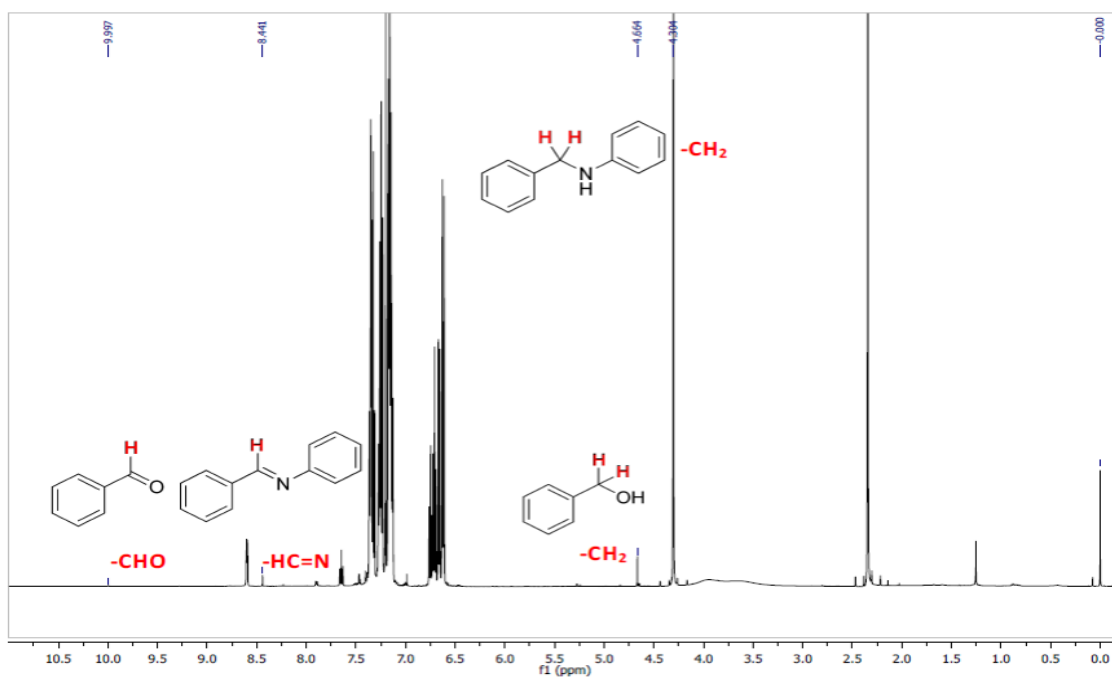
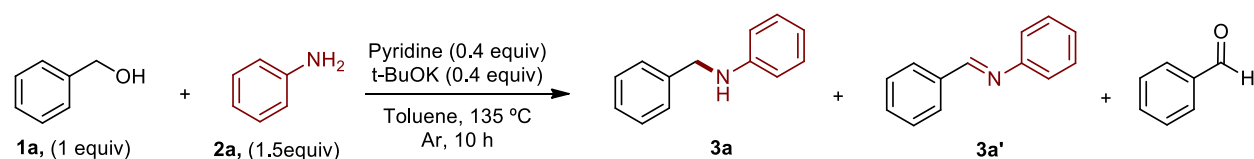
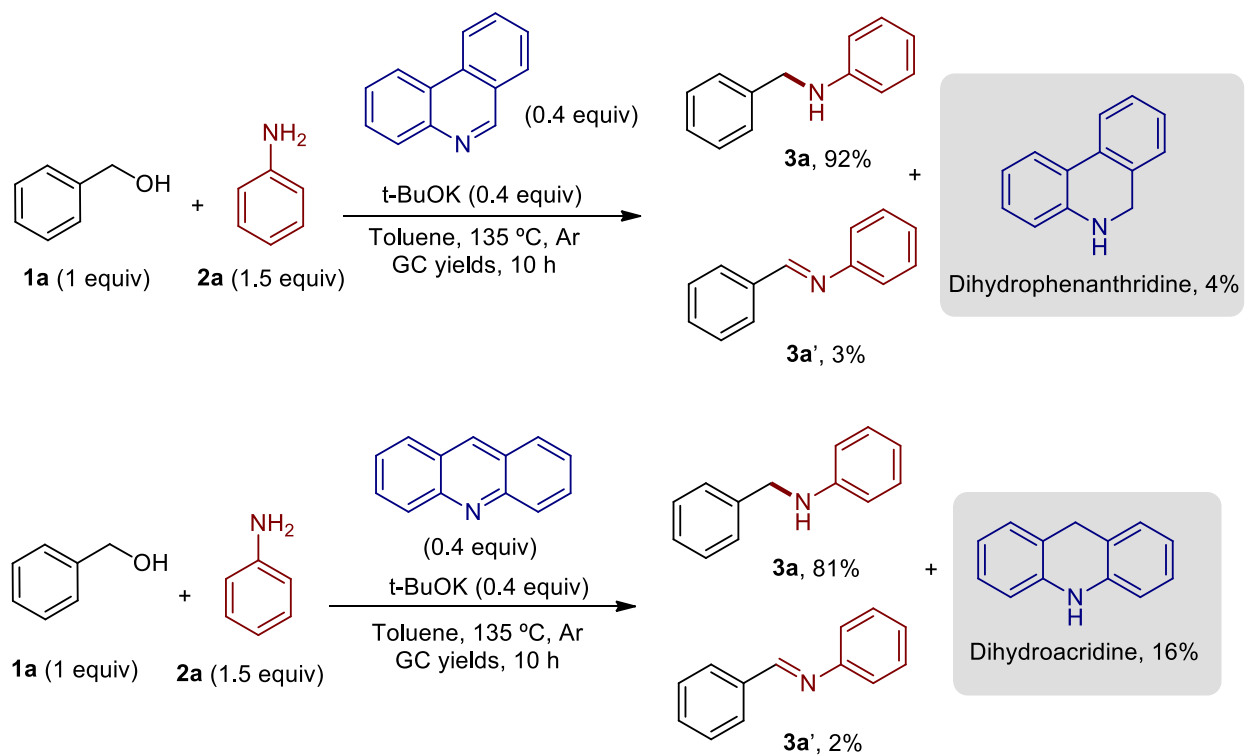


Figure S1. Crude ^1H NMR of pyridine mediated N-alkylation of aniline with benzyl alcohol.

The reaction mixture was stopped at 10 h and solvent was removed in vacuo, residue analyzed through ^1H - NMR.

c. Observation of dearomatized dihydro-intermediates in N-alkylation reactions



d. Acridine mediated N-alkylation of aniline with benzyl alcohol

General procedure b was followed. Acridine was employed as the mediator in place of pyridine.

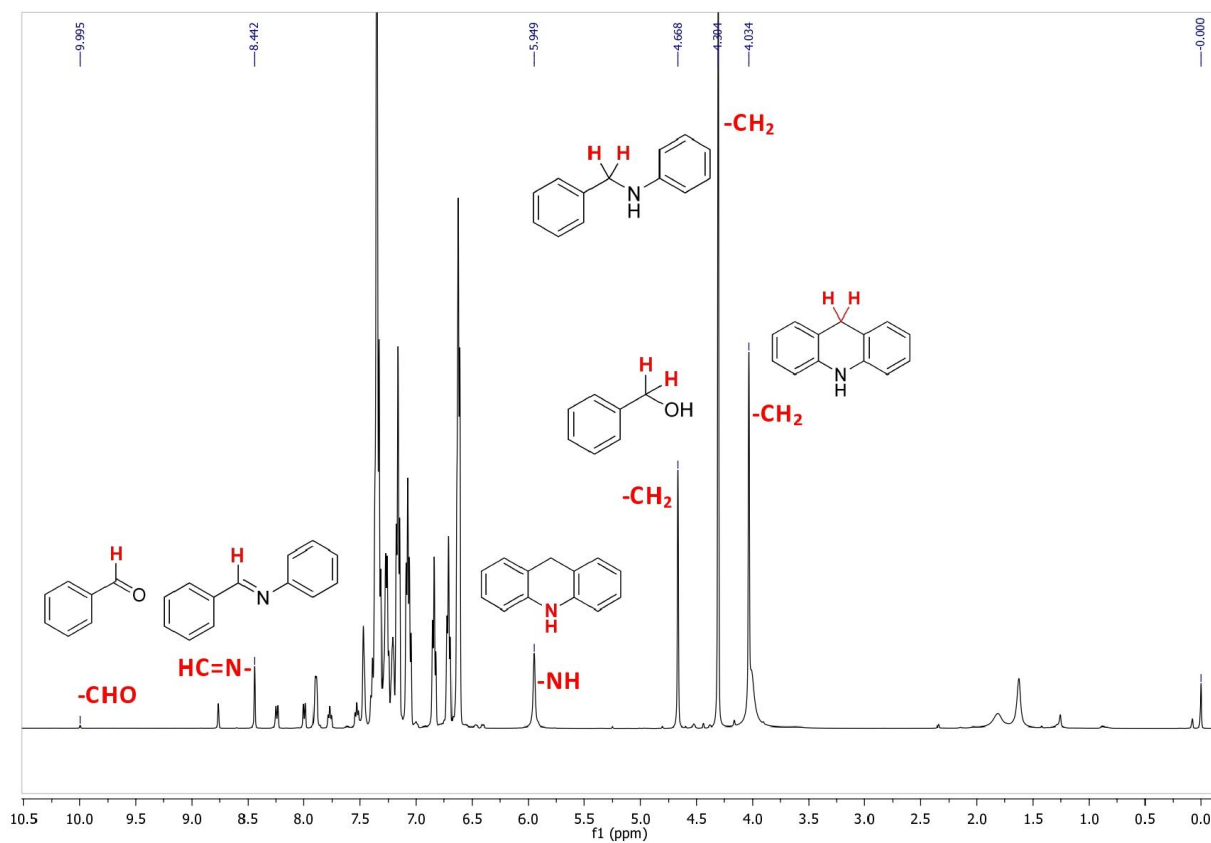
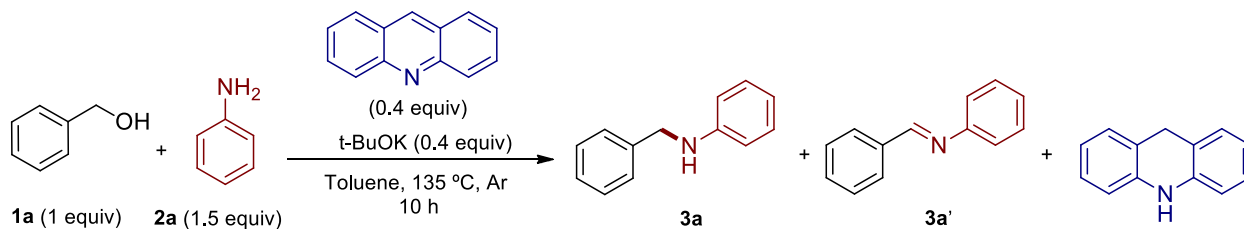
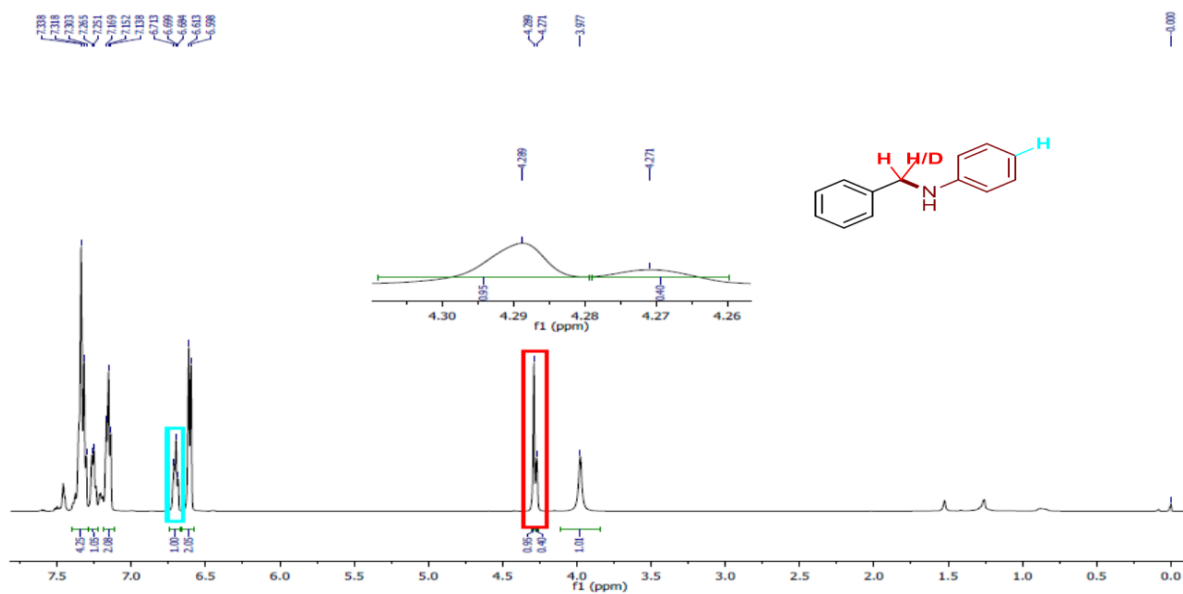
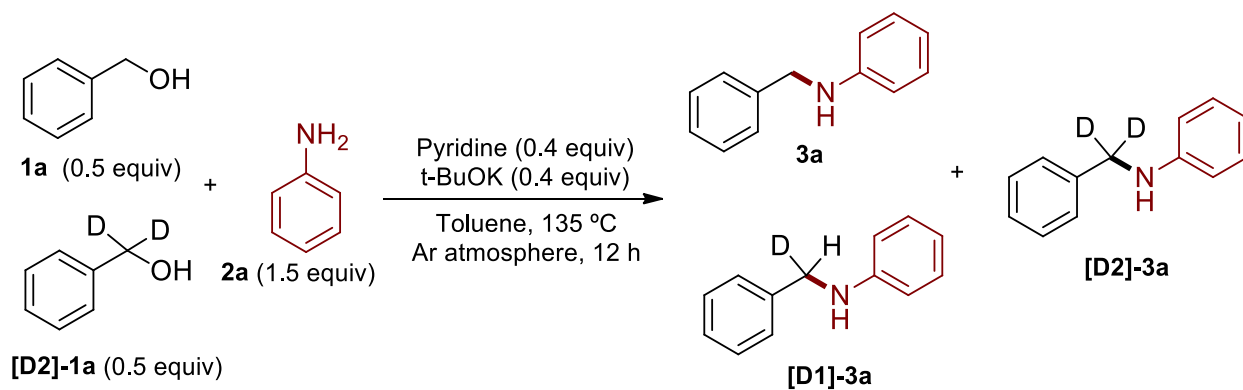
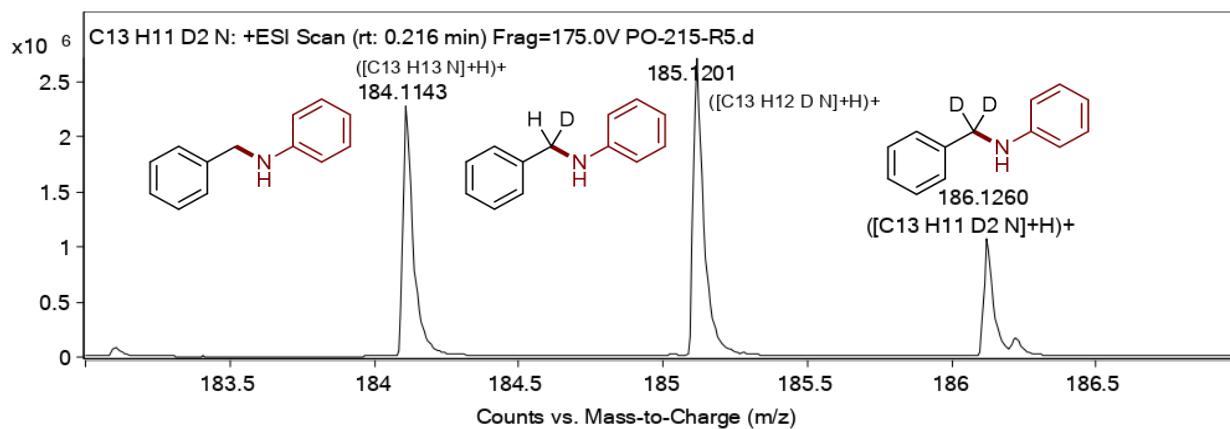


Figure S2. Crude ^1H NMR of acridine mediated N-alkylation of aniline with benzyl alcohol.

e. Cross-over experiment



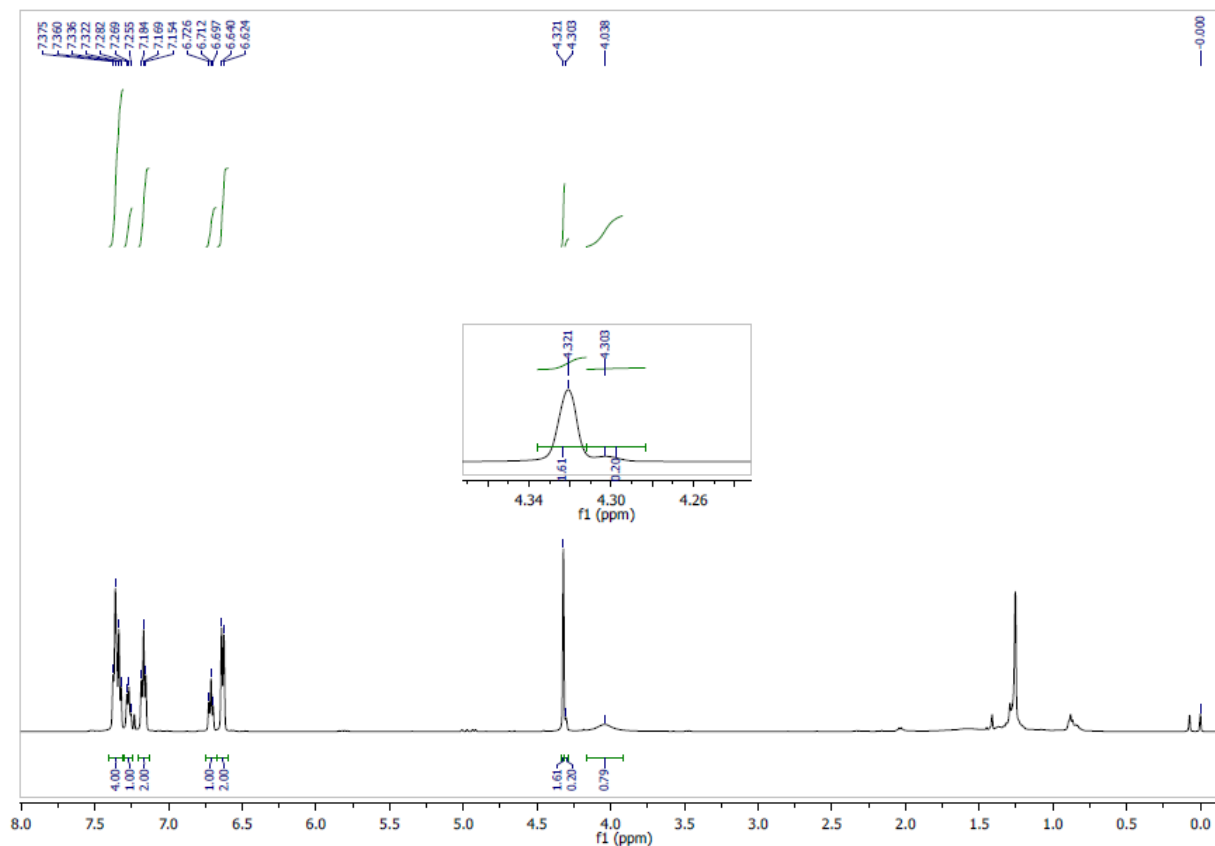
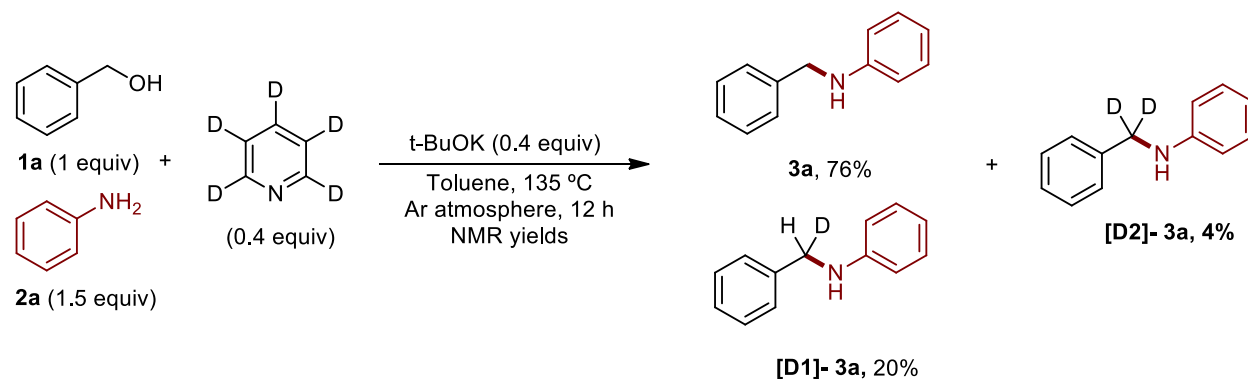
HRMS Data



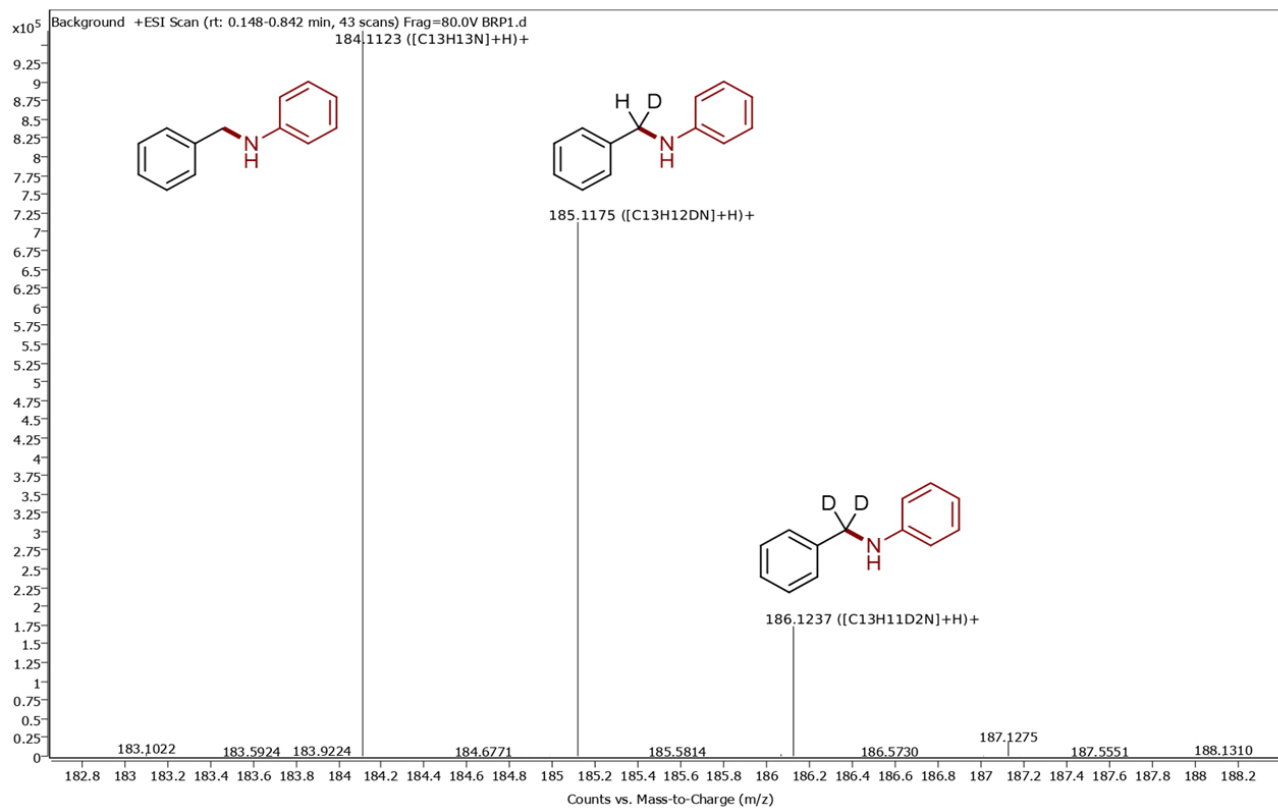
	3a + 3a-D ₁	3a	3a-D ₁	3a-D ₂
Signal δ	6.70[para-H, (1H)]	4.29 [Benzyl-H (2H)]	4.27 [Benzyl-H (1H)]	-
Integral value	1.00	0.95/2.10 = 0.45	0.40	
Calculated ratio		45%	40%	15%
HR-MS ratio		45%	40%	15%
KIE			$k_{\text{CHH}}/k_{\text{CHD}} = 1.12$	

f. Pyridine-d₅ mediated N-alkylation of aniline with benzyl alcohol

General procedure b was followed. Pyridine-d₅ was employed as the mediator in place of pyridine.



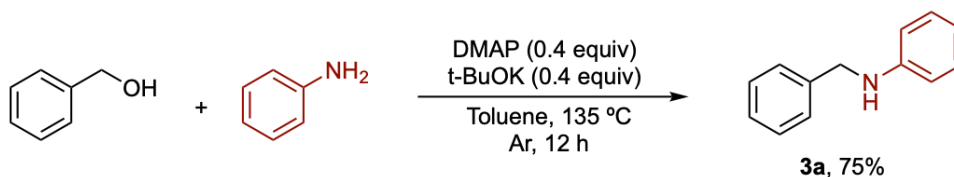
HRMS Data



	3a + 3a-D ₁	3a	3a-D ₁	3a-D ₂
Signal δ	6.70[para-H, (1H)]	4.32 [Benzyl-H (2H)]	4.30 [Benzyl-H (1H)]	-
Integral value	1.00	1.61/2.10 = 0.76	0.20	
Calculated ratio		76%	20%	4%
HR-MS ratio		76%	20%	4%

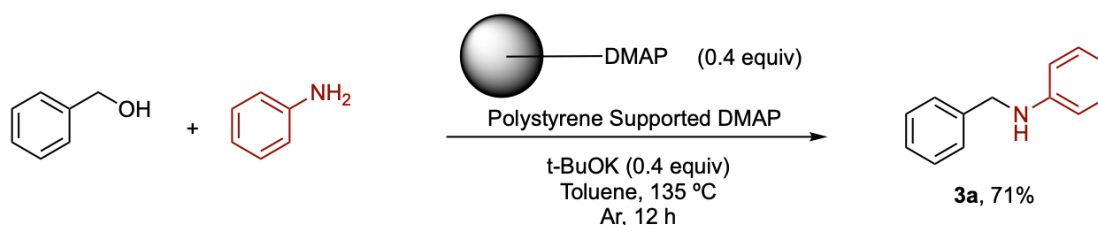
g. DMAP mediated BH N-alkylation reaction

General procedure b was followed. DMAP was employed as the mediator in place of pyridine.



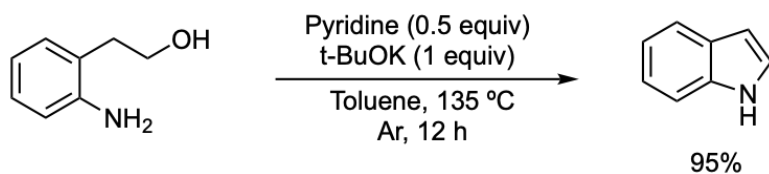
h. Polymer supported DMAP mediated N-alkylation of aniline with benzyl alcohol:

In a 15 ml oven dried Pressure tube t-BuOK (0.37 mmol, 0.4 equiv), Amines (1.38 mmol, 1.5 equiv), Alcohols (0.92 mmol, 1 equiv), Polymer supported –DMAP (0.37 mmol, 0.4equiv) were added followed by dry Toluene (1 ml) under an argon atmosphere. The reaction mixture kept in preheated oil bath at 135 °C for 12h. The Reaction mixture was cool down to room temperature and 3ml of Dichlorormethane (DCM) added and concentrated through in reduced pressure. The curde product was purified through Column chromatography using hexane: ethyl acetate as an eluent system to give desired product.



i. General Procedure for the synthesis of Indole:

In a 15ml oven dried Pressure tube t-BuOK (0.72 mmol, 1 equiv), amino alcohol (0.72 mmol, 1 equiv), Pyridine (0.36 mmol, 0.5 equiv) were added followed by dry Toluene (1ml) under an argon atmosphere. The reaction mixture kept in preheated oil bath at 135 °C for 12h. The Reaction mixture was cool down to room temperature and 3ml of Dichlorormethane (DCM) added and concentrated through in reduced pressure. The curde product was purified through Column chromatography using hexane: ethyl acetate as an eluent system to give desired product.



j. Transition-metal-free dehydrogenative quinoline synthesis

The two functions of a BH catalyst, namely dehydrogenation and hydrogenation can be independently utilized for the dehydrogenative synthesis of heterocycles^{7, 15} and transfer hydrogenation of ketones,¹⁶ respectively. A previous report by Yus has used benzophenone as an organic hydride sink for a modified Friedlander synthesis of quinolines from aminobenzyl alcohols and ketones.⁹ In a similar approach using 0.1 equiv of pyridine as a mediator and 0.2 equiv of KOH as a base, we synthesized various quinolines from 2-amino benzyl alcohols and aryl alkyl ketones in excellent yields of up to 97% in 30 min to 1 h (**Figure S2, 6a-k**). Significantly, the process enables a transition metal-free, sustainable synthesis of a good range of quinoline building blocks from the corresponding amino alcohols and ketones.

General procedure for the synthesis of various 2-Phenylquinolines:

In a 15 ml oven dried Pressure tube KOH (0.16 mmol, 0.2 equiv), Amino alcohols (0.81 mmol, 1 equiv), Ketones (0.97 mmol, 1.2 equiv), Pyridine (0.081 mmol, 0.1 equiv) were added followed by dry 1,4-Dioxane (1 ml) under an argon atmosphere. The reaction mixture kept in pre-heated oil bath at 135 °C for 1h. The Reaction mixture was cool down to room temperature and 3 ml of Dichlorormethane (DCM) added and concentrated through in reduced pressure. The crude product was purified through Column chromatography using hexane: ethyl acetate as an eluent system to give desired product.

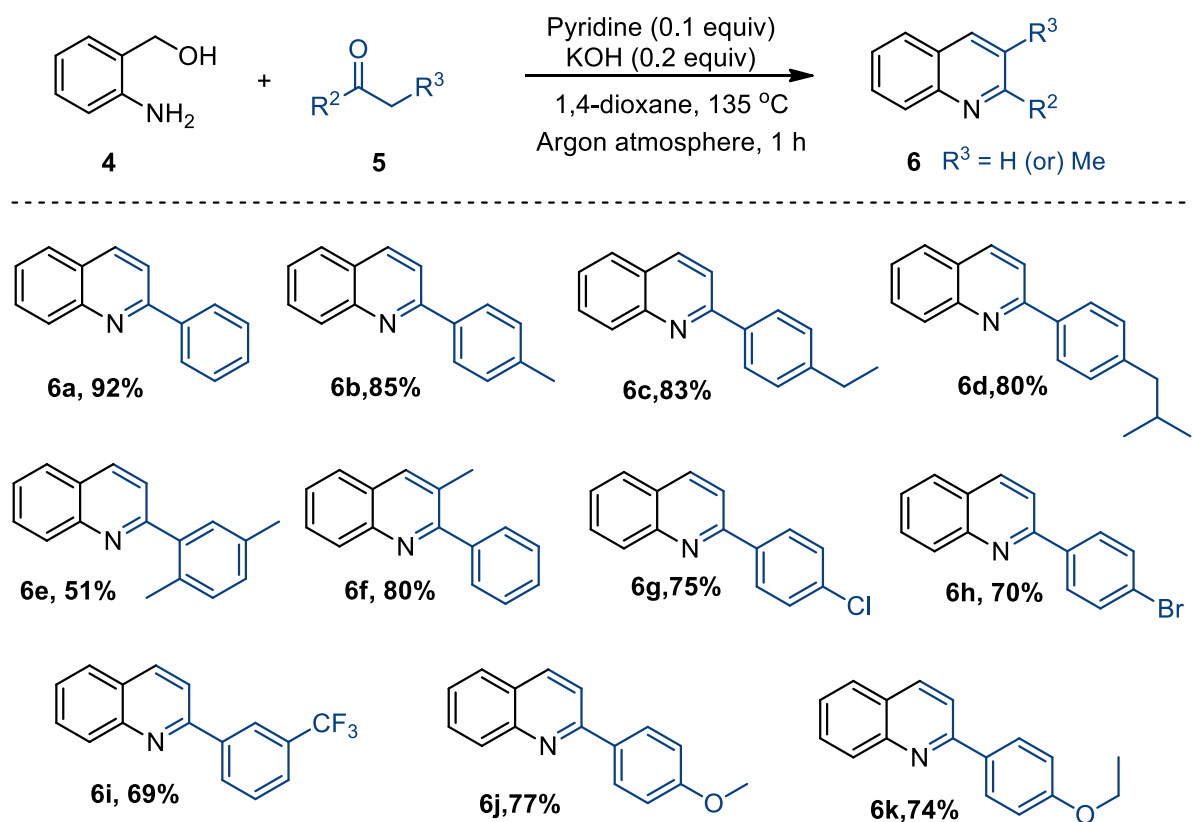


Figure S2. Pyridine mediated transition-metal-free dehydrogenative quinoline synthesis.

k. Transfer hydrogenation of ketones:

Further, in an effort to harness the transfer hydrogenation ability of aza-aromatics, we attempted a metal-free organocatalytic reduction protocol for ketones utilizing isopropyl alcohol as the sacrificial hydrogen source.¹⁶ Pleasingly, various acetophenones and propiophenone were smoothly reduced under our pyridine mediated N-alkylation conditions (**Figure S3, 7a-k**).

General procedure for the pyridine mediated transfer hydrogenation of ketones:

In a 15ml oven dried Pressure tube t-BuOK (0.33 mmol, 0.4 equiv), Ketones (0.83 mmol, 1 equiv), Pyridine (0.33 mmol, 0.4 equiv), Isopropyl alcohol (8.32 mmol, 10 equiv) were added followed by dry Toluene (1ml) under an argon atmosphere. The reaction mixture kept in preheated oil bath at 135 °C for 12h. The Reaction mixture was cool down to room temperature and 3 ml of

Dichlorormethane (DCM) added and concentrated through in reduced pressure. The crude product was purified through Column chromatography using hexane: ethyl acetate as an eluent system to give desired product.

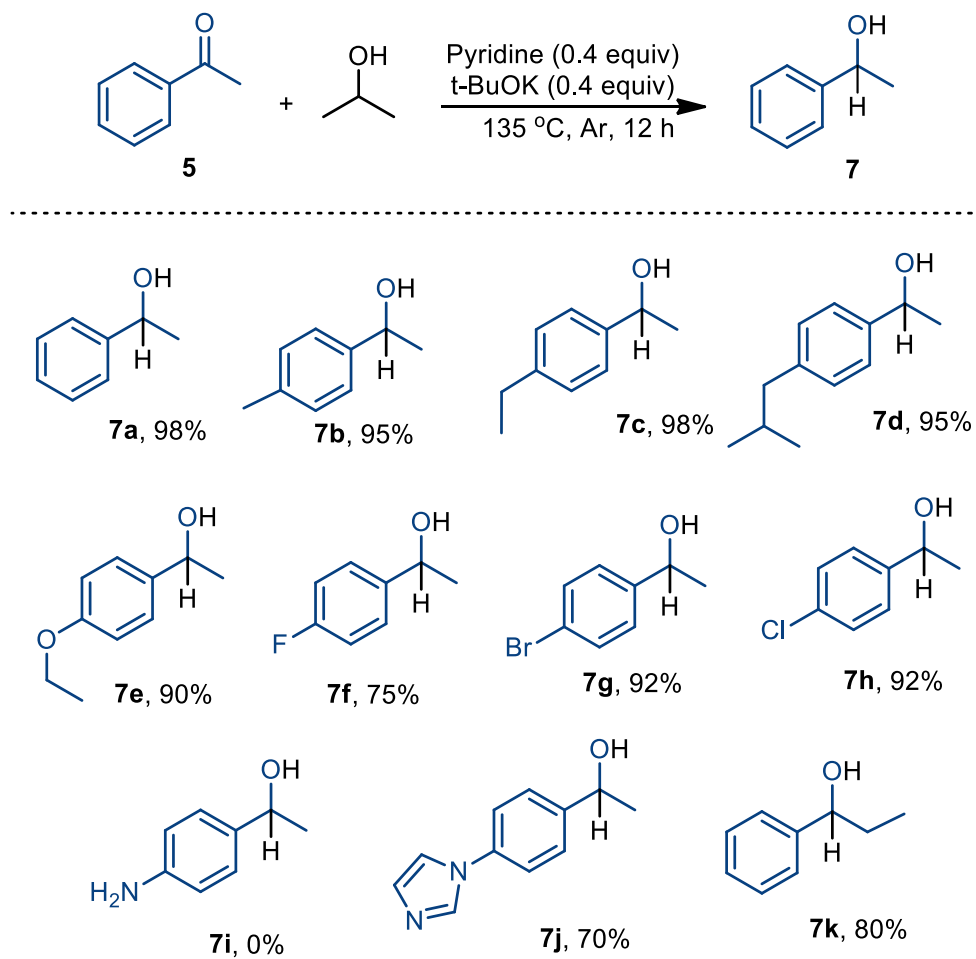
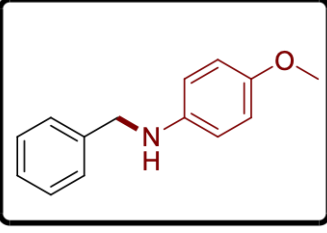
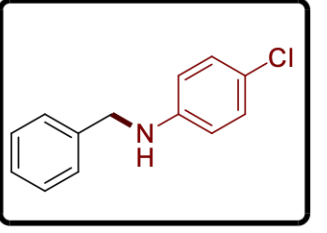
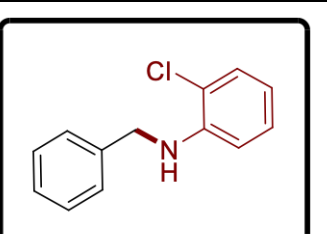
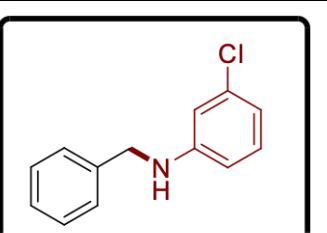
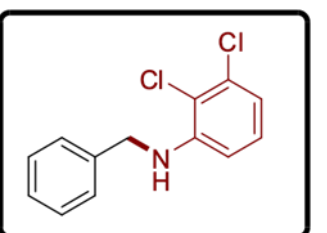
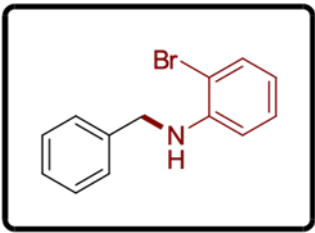
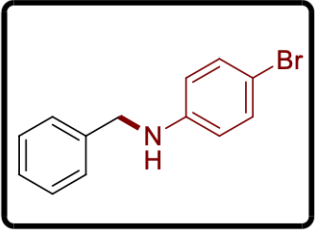
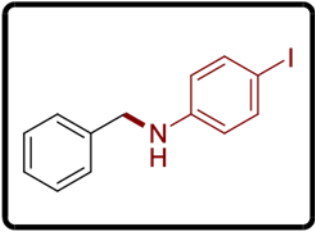
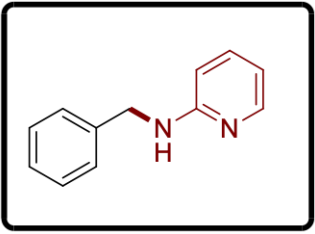
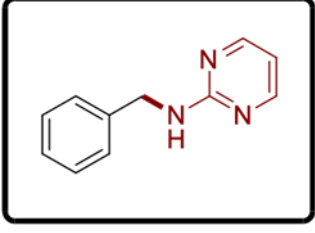


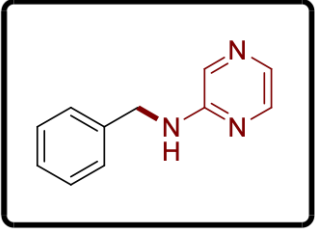
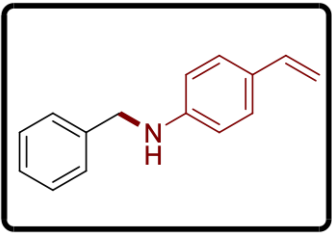
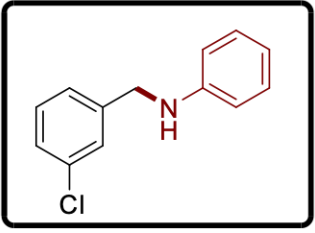
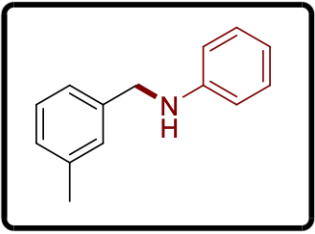
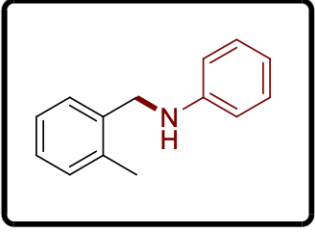
Figure S3. Pyridine mediated transition-metal-free transfer hydrogenation of ketones.

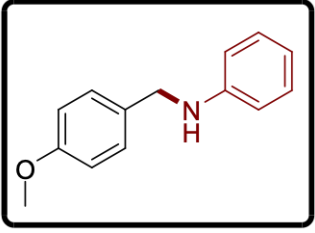
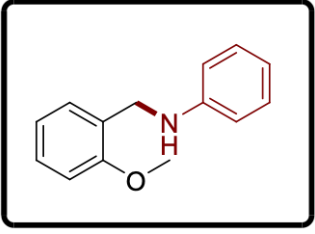
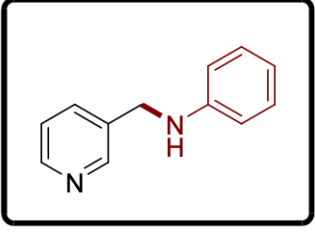
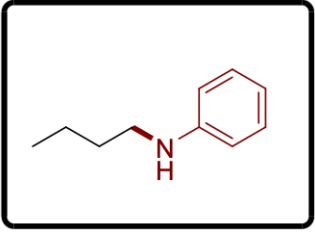
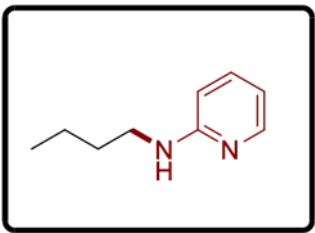
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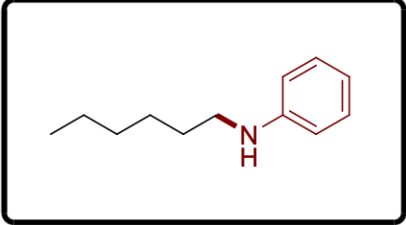
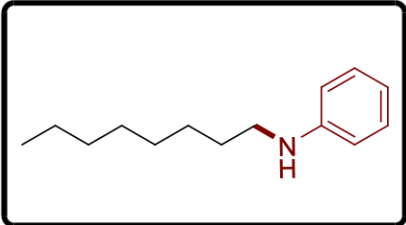
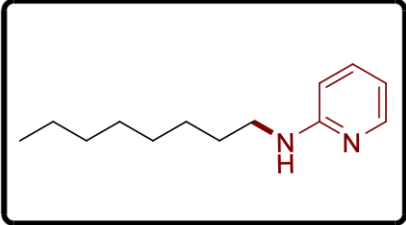
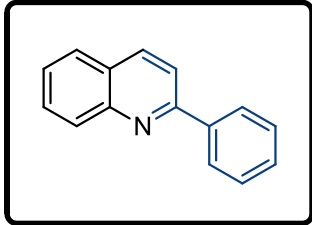
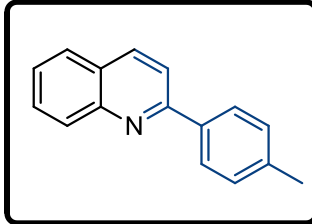
	<p>N-benzylaniline¹ Prepared according to general procedure affords 3a (161mg, 95%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.38 – 7.29 (m, 4H), 7.29 – 7.23 (m, 1H), 7.16 (dd, J = 10.8, 6.5 Hz, 2H), 6.75 – 6.68 (m, 1H), 6.62 (dd, J = 7.1, 1.5 Hz, 2H), 4.30 (s, 2H), 4.00 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 148.35, 139.67, 129.47, 128.83, 127.69, 127.41, 117.72, 113.03, 48.42.</p>
	<p>N-benzyl-4-butylaniline³ Prepared according to general procedure affords 3b (210mg, 95%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.39 – 7.29 (m, 4H), 7.25 (t, J = 7.1 Hz, 1H), 6.98 (d, J = 6.7 Hz, 2H), 6.56 (d, J = 6.9 Hz, 2H), 4.28 (s, 2H), 3.78 (s, 1H), 2.48 (t, J = 7.0 Hz, 2H), 1.58 – 1.49 (m, 2H), 1.37 – 1.29 (m, 2H), 0.94 – 0.87 (m, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 146.21, 139.77, 132.11, 129.24, 128.70, 127.65, 127.26, 112.98, 48.73, 34.85, 34.15, 22.47, 14.15.</p>
	<p>N-benzyl-2-methoxyaniline³ Prepared according to general procedure affords 3c (160mg, 81%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.29 (d, J = 7.3 Hz, 2H), 7.24 (t, J = 7.4 Hz, 2H), 7.17 (t, J = 6.5 Hz, 1H), 6.74 (t, J = 7.6 Hz, 1H), 6.69 (d, J = 7.2 Hz, 1H), 6.59 (t, J = 7.5 Hz, 1H), 6.50 (d, J = 7.0 Hz, 1H), 4.52 (s, 1H), 4.25 (s, 2H), 3.74 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 146.85, 139.67, 138.19, 128.67, 127.60, 127.21, 121.36, 116.72, 110.15, 109.44, 55.47, 48.11.</p>
	<p>N-benzyl-3-methoxyaniline Prepared according to general procedure affords 3d (170mg, 86%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃) δ 7.27 (s, 4H), 7.20 (s, 1H), 7.01 (t, J = 7.1 Hz, 1H), 6.23 (d, J = 7.1 Hz, 1H), 6.17 (d, J = 7.0 Hz, 1H), 6.12 (s, 1H), 4.19 (s, 2H), 3.97 (s, br, 1H), 3.64 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 161.03, 149.81, 139.65, 130.21, 128.82, 127.69, 127.40, 106.16, 102.81, 99.08, 55.16, 48.37. HRMS (ESI) m/z calculated for C₁₄H₁₆NO [M+H]⁺ 214.1226 found 214.1227.</p>

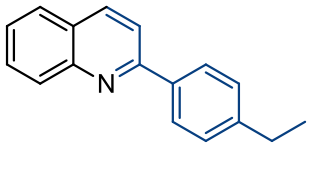
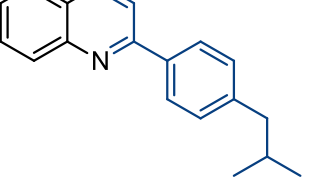
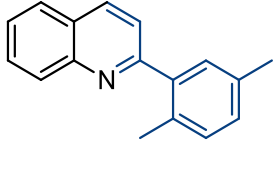
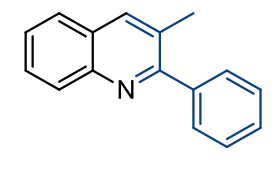
	<p>N-benzyl-4-methoxyaniline² Prepared according to general procedure affords 3e (188mg, 95%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.32 – 7.26 (m, 4H), 7.21 (t, <i>J</i> = 6.2 Hz, 1H), 6.72 (dd, 2H), 6.52 (dd, 2H), 4.18 (s, 2H), 3.65 (s, 4H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 152.29, 142.65, 139.94, 128.74, 127.68, 127.29, 115.06, 114.25, 55.86, 49.28.</p>
	<p>N-benzyl-4-chloroaniline¹ Prepared according to general procedure affords 3f (187mg, 93%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.32 – 7.26 (m, 4H), 7.25 – 7.20 (m, 1H), 7.07 – 7.02 (m, 2H), 6.46 – 6.42 (m, 2H), 4.19 (s, 2H), 3.95 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 146.81, 139.11, 129.21, 128.86, 127.56, 127.52, 122.11, 114.08, 48.39.</p>
	<p>N-benzyl-2-chloroaniline¹ Prepared according to general procedure affords 3g (182mg, 90%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.28 (t, <i>J</i> = 5.1 Hz, 4H), 7.22 (d, <i>J</i> = 7.3 Hz, 2H), 7.02 (t, <i>J</i> = 7.7 Hz, 1H), 6.57 (t, <i>J</i> = 10.0 Hz, 2H), 4.69 (s, 1H), 4.29 (d, <i>J</i> = 4.7 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 144.05, 138.95, 129.31, 128.92, 128.03, 127.54, 127.44, 119.28, 117.62, 111.73, 47.96.</p>
	<p>N-benzyl-3-chloroaniline¹ Prepared according to general procedure affords 3h (177mg, 88%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.40 – 7.21 (m, 5H), 7.02 (t, <i>J</i> = 8.0 Hz, 1H), 6.65 (d, <i>J</i> = 7.9 Hz, 1H), 6.57 (t, <i>J</i> = 2.0 Hz, 1H), 6.44 (dd, <i>J</i> = 8.2, 2.2 Hz, 1H), 4.24 (s, 2H), 4.04 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ = 148.12, 137.65, 133.83, 129.11, 127.59, 126.32, 126.28, 116.18, 111.38, 109.98, 46.83.</p>
	<p>N-benzyl-2,3-dichloroaniline⁷ Prepared according to general procedure affords 3i (164mg, 70%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.41 – 7.22 (m, 5H), 6.97 (t, <i>J</i> = 8.1 Hz, 1H), 6.77 (d, <i>J</i> = 8.0 Hz, 1H), 6.49 (d, <i>J</i> = 9.5 Hz, 1H), 4.88 (s, 1H), 4.37 (d, <i>J</i> = 5.6 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ (126 145.34, 138.30, 132.90, 128.86, 127.81, 127.57, 127.26, 118.17, 117.17, 109.44, 48.00.</p>

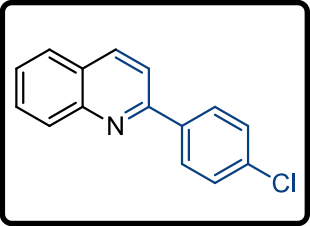
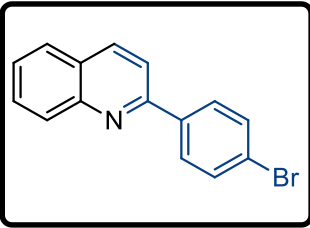
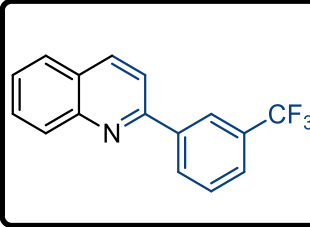
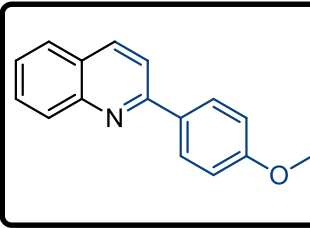
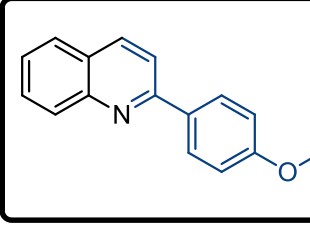
	<p>N-benzyl-2-bromoaniline⁸ Prepared according to general procedure affords 3j (155mg, 64%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.42 (dd, <i>J</i> = 7.9, 1.5 Hz, 1H), 7.36 – 7.31 (m, 4H), 7.29 – 7.24 (m, 1H), 7.12 – 7.08 (m, 1H), 6.59 – 6.53 (m, 2H), 4.74 (s, 1H), 4.37 (d, <i>J</i> = 2.6 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 144.85, 138.75, 132.46, 128.80, 128.57, 127.42, 127.30, 118.04, 111.69, 109.74, 48.04.</p>
	<p>N-benzyl-4-bromoaniline² Prepared according to general procedure affords 3k (206mg, 64%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.34 (d, <i>J</i> = 4.6 Hz, 4H), 7.30 – 7.26 (m, 1H), 7.25 – 7.21 (m, 2H), 6.51 – 6.48 (m, 2H), 4.29 (s, 2H), 4.08 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 147.07, 138.88, 131.96, 128.74, 127.43, 127.36, 114.44, 109.13, 48.24.</p>
	<p>N-benzyl-4-iodoaniline⁶ Prepared according to general procedure affords 3l (180mg, 63%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.33 – 7.27 (m, 4H), 7.26 – 7.22 (m, 1H), 7.05 (d, <i>J</i> = 8.8 Hz, 2H), 6.46 (d, <i>J</i> = 8.9 Hz, 2H), 4.21 (s, 2H), 3.96 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 146.80, 139.10, 129.19, 128.83, 127.54, 127.49, 122.12, 114.06, 48.39.</p>
	<p>N-benzylpyridin-2-amine¹ Prepared according to general procedure affords 3m (121mg, 71%) white solid obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.08 (d, <i>J</i> = 4.7 Hz, 1H), 7.40 – 7.30 (m, 5H), 7.26 (t, 1H), 6.59 – 6.55 (m, 1H), 6.35 (d, <i>J</i> = 8.4 Hz, 1H), 5.01 (s, 1H), 4.49 (d, <i>J</i> = 5.8 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 158.65, 148.19, 139.17, 137.47, 128.62, 127.39, 127.21, 113.11, 106.75, 46.30.</p>
	<p>N-benzylpyrimidin-2-amine⁴ Prepared according to general procedure affords 3n (112mg, 65%) white solid obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.20 (s, 2H), 7.40 – 7.30 (m, 4H), 7.30 – 7.24 (m, 1H), 6.67 – 6.32 (m, 1H), 5.98 (s, 1H), 4.63 (d, <i>J</i> = 5.8 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 162.29, 158.03, 139.11, 128.61, 128.52, 127.60, 127.24, 126.98, 110.65, 45.47.</p>

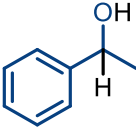
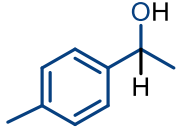
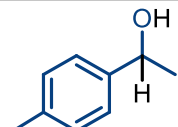
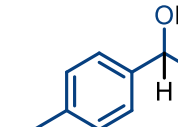
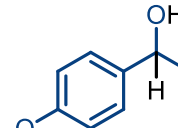
	<p>N-benzylpyrazin-2-amine⁵ Prepared according to general procedure affords 3o (160mg, 94%) white solid obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.98 (s, 1H), 7.87 (s, 1H), 7.80 (s, 1H), 7.39 – 7.26 (m, 5H), 5.11 (s, br, 1H), 4.55 (d, <i>J</i> = 5.4 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 154.47, 141.98, 138.46, 133.08, 132.09, 128.75, 127.58, 127.54, 45.55.</p>
	<p>N-benzyl-4-vinylaniline² Prepared according to general procedure affords 3p (165mg, 85%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.36 – 7.31 (m, 4H), 7.29 – 7.21 (m, 3H), 6.65 – 6.54 (m, 3H), 5.51 (d, <i>J</i> = 17.6 Hz, 1H), 5.00 (d, <i>J</i> = 10.9 Hz, 1H), 4.32 (s, 2H), 4.06 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 147.92, 139.28, 136.66, 128.71, 127.50, 127.42, 127.33, 112.77, 109.56, 48.23.</p>
	<p>N-(3-chlorobenzyl)aniline¹ Prepared according to general procedure affords 3q (125mg, 82%) white solid obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.24 (s, 1H), 7.12 (s, 3H), 7.06 (t, <i>J</i> = 6.7 Hz, 2H), 6.63 (d, <i>J</i> = 6.2 Hz, 1H), 6.48 (d, <i>J</i> = 7.6 Hz, 2H), 4.17 (s, 2H), 3.93 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 147.86, 141.85, 134.57, 129.99, 129.41, 127.48, 127.43, 125.49, 117.91, 112.97, 47.79.</p>
	<p>N-(3-methylbenzyl)aniline¹ Prepared according to general procedure affords 3r (150mg, 93%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.25 – 7.11 (m, 5H), 7.07 (s, 1H), 6.70 (dd, <i>J</i> = 6.6, 1.7 Hz, 1H), 6.59 (d, <i>J</i> = 4.8 Hz, 2H), 4.23 (s, 2H), 3.93 (s, 1H), 2.33 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 148.58, 139.78, 138.51, 129.57, 128.85, 128.58, 128.29, 124.90, 117.77, 113.16, 48.56, 21.75.</p>
	<p>N-(2-methylbenzyl)aniline¹ Prepared according to general procedure affords 3s (145mg, 90%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.29 (d, <i>J</i> = 7.1 Hz, 1H), 7.15 (dd, <i>J</i> = 10.9, 3.7 Hz, 5H), 6.69 (t, <i>J</i> = 7.2 Hz, 1H), 6.58 (d, <i>J</i> = 8.2 Hz, 2H), 4.21 (s, 2H), 3.77 (s, 1H), 2.33 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 148.44, 137.16, 136.46, 130.55, 129.43, 128.37, 127.56, 126.31, 117.58, 112.82, 46.48, 19.08.</p>

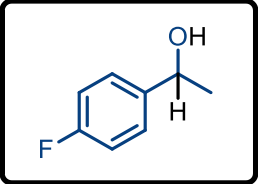
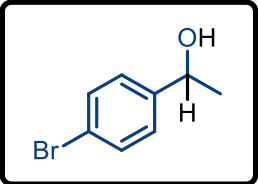
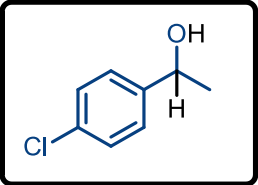
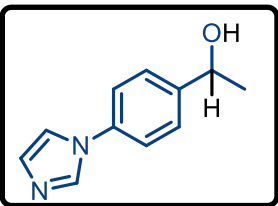
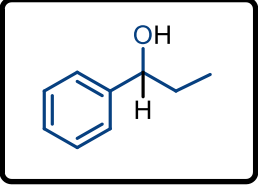
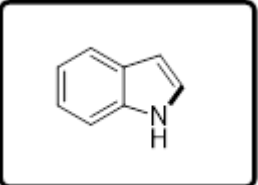
	<p>N-(4-methoxybenzyl)aniline² Prepared according to general procedure affords 3t (130mg, 84%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.21 (s, 2H), 7.12 (s, 2H), 6.82 (s, 2H), 6.67 (d, <i>J</i> = 3.7 Hz, 1H), 6.56 (s, 2H), 4.16 (s, 2H), 3.84 (s, 1H), 3.71 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 159.00, 148.41, 131.62, 129.42, 128.94, 117.61, 114.18, 113.02, 55.39, 47.87.</p>
	<p>N-(2-methoxybenzyl)aniline¹ Prepared according to general procedure affords 3u (136mg, 88%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.29 (dd, <i>J</i> = 7.3, 1.4 Hz, 1H), 7.23 (td, <i>J</i> = 8.0, 1.6 Hz, 1H), 7.18 – 7.11 (m, 2H), 6.90 (dd, <i>J</i> = 7.4, 0.7 Hz, 1H), 6.87 (d, <i>J</i> = 8.2 Hz, 1H), 6.68 (t, <i>J</i> = 7.3 Hz, 1H), 6.63 (dd, <i>J</i> = 8.5, 0.8 Hz, 2H), 4.31 (s, 2H), 4.08 (s, 1H), 3.83 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 157.46, 148.49, 129.23, 128.95, 128.35, 127.41, 120.58, 117.39, 113.13, 110.31, 55.35, 43.51.</p>
	<p>N-(pyridin-3-ylmethyl)aniline¹ Prepared according to general procedure affords 3v (122mg, 72%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.62 (s, 1H), 8.52 (d, <i>J</i> = 4.7 Hz, 1H), 7.69 (d, <i>J</i> = 7.8 Hz, 1H), 7.25 (t, <i>J</i> = 6.3 Hz, 1H), 7.20 – 7.15 (m, 2H), 6.74 (t, <i>J</i> = 7.3 Hz, 1H), 6.62 (d, <i>J</i> = 7.8 Hz, 2H), 4.35 (s, 2H), 4.11 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 149.16, 148.71, 147.61, 135.09, 134.90, 129.34, 123.54, 118.02, 112.93, 45.77.</p>
	<p>N-butylaniline³ Prepared according to general procedure affords 3w (128mg, 64%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.17 – 7.13 (m, 2H), 6.67 (tt, <i>J</i> = 7.3, 1.0 Hz, 1H), 6.57 (dd, <i>J</i> = 8.6, 1.0 Hz, 2H), 3.50 (s, 1H), 3.08 (t, 2H), 1.61 – 1.54 (m, <i>J</i> = 12.6, 7.3 Hz, 2H), 1.44 – 1.37 (m, <i>J</i> = 14.9, 7.3 Hz, 2H), 0.94 (t, <i>J</i> = 7.4 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 148.65, 129.31, 117.14, 112.77, 43.75, 31.77, 20.42, 14.04.</p>
	<p>N-butylpyridin-2-amine² Prepared according to general procedure affords 3z (122mg, 60%) Yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.06 (dd, <i>J</i> = 4.9, 1.0 Hz, 1H), 7.42 – 7.37 (m, 1H), 6.54 (dd, <i>J</i> = 6.7, 5.4 Hz, 1H), 6.36 (d, <i>J</i> = 8.4 Hz, 1H), 4.64 (s, 1H), 3.24 (q, <i>J</i> = 12.0, 6.9 Hz, 2H), 1.63 – 1.56 (m, <i>J</i> = 14.9, 7.3 Hz, 2H), 1.47 – 1.38 (m, <i>J</i> = 14.6, 7.3 Hz, 2H), 0.95 (t, <i>J</i> = 7.4 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 158.98, 148.15, 137.40, 112.52, 106.28, 41.98, 31.64, 20.21, 13.87.</p>

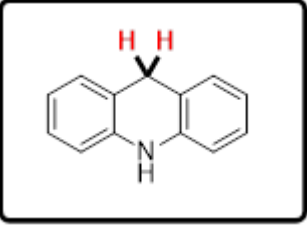
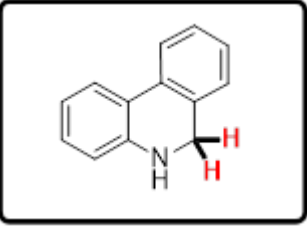
	<p>N-hexylaniline⁴ Prepared according to general procedure affords 3x (121mg, 70%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.19 – 7.13 (m, 2H), 6.68 (td, <i>J</i> = 7.3, 1.1 Hz, 1H), 6.59 (dd, <i>J</i> = 7.7, 0.9 Hz, 2H), 3.57 (s, 1H), 3.08 (t, <i>J</i> = 7.2 Hz, 2H), 1.63 – 1.56 (m, 2H), 1.43 – 1.24 (m, 6H), 0.90 (t, <i>J</i> = 6.1 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 148.54, 129.20, 117.04, 112.67, 43.98, 31.67, 29.54, 26.87, 22.65, 14.07.</p>
	<p>N-octylaniline³ Prepared according to general procedure affords 3y (138mg, 88%) yellow oil obtained after column chromatography; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.18 – 7.11 (m, 2H), 6.66 (t, <i>J</i> = 7.3 Hz, 1H), 6.57 (dd, <i>J</i> = 8.5, 0.9 Hz, 2H), 3.46 (s, br, 1H), 3.06 (t, 2H), 1.58 (dd, <i>J</i> = 22.0, 7.1 Hz, 2H), 1.46 – 1.17 (m, 12H), 0.88 (t, <i>J</i> = 7.0 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 147.50, 128.15, 115.99, 111.62, 42.94, 30.82, 28.54, 28.42, 28.27, 26.17, 21.66, 13.09.</p>
	<p>N-Octylpyridin-2-amine² Prepared according to general procedure affords 3z (90mg, 57%) yellow oil obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.06 (d, <i>J</i> = 3.8 Hz, 1H), 7.41 (t, <i>J</i> = 7.6 Hz, 1H), 6.54 (t, <i>J</i> = 5.5 Hz, 1H), 6.36 (d, <i>J</i> = 8.4 Hz, 1H), 4.53 (s, 1H), 3.23 (dd, <i>J</i> = 12.5, 6.2 Hz, 2H), 1.66 – 1.58 (m, 2H), 1.38 (d, <i>J</i> = 6.7 Hz, 2H), 1.29 (d, <i>J</i> = 5.7 Hz, 8H), 0.88 (t, <i>J</i> = 6.1 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ TMS) δ 158.94, 148.17, 137.43, 112.57, 106.27, 42.32, 31.82, 29.55, 29.37, 29.26, 27.08, 22.66, 14.11.</p>
	<p>2-phenylquinoline⁹ Prepared according to general procedure affords 6a (153mg, 92%) white solid obtained after column chromatography : ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.21 (d, <i>J</i> = 8.6 Hz, 1H), 8.20 – 8.14 (m, 3H), 7.87 (d, <i>J</i> = 8.6 Hz, 1H), 7.82 (d, <i>J</i> = 8.1 Hz, 1H), 7.74 – 7.71 (m, 1H), 7.55 – 7.50 (m, 3H), 7.49 – 7.44 (m, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 157.40, 148.32, 139.72, 136.79, 129.77, 129.67, 129.33, 128.86, 127.59, 127.47, 127.21, 126.30, 119.03.</p>
	<p>2-(4-tolyl)quinolone¹⁰ Prepared according to general procedure affords 6b (151mg, 85%) white solid obtained after column chromatography : ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.17 (m, 2H), 8.06 (d, <i>J</i> = 8.0 Hz, 2H), 7.84 (d, <i>J</i> = 8.6 Hz, 1H), 7.80 (d, <i>J</i> = 8.1 Hz, 1H), 7.71 (t, <i>J</i> = 7.6 Hz, 1H), 7.50 (t, <i>J</i> = 7.4 Hz, 1H), 7.32 (d, <i>J</i> = 7.9 Hz, 2H), 2.43 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 157.38, 148.30, 139.42, 136.89, 136.69, 129.64, 129.59, 127.50, 127.47, 127.42, 127.12, 126.11, 118.91, 21.35.</p>

	<p>2-(4-ethylphenyl)quinoline¹² Prepared according to general procedure affords 6c (157mg, 83%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.20 – 8.14 (m, 2H), 8.14 – 8.05 (m, 2H), 7.83 (d, <i>J</i> = 8.6 Hz, 1H), 7.78 (d, <i>J</i> = 8.0 Hz, 1H), 7.74 – 7.67 (m, 1H), 7.52 – 7.46 (m, 1H), 7.34 (d, <i>J</i> = 8.0 Hz, 2H), 2.72 (q, <i>J</i> = 15.2, 7.6 Hz, 2H), 1.28 (t, <i>J</i> = 7.6 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 157.43, 148.35, 145.76, 137.19, 136.66, 129.72, 129.59, 128.42, 127.59, 127.47, 127.13, 126.10, 118.93, 28.76, 15.59.</p>
	<p>2-(4-isobutylphenyl)quinoline Prepared according to general procedure affords 6d (170mg, 80%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.21 – 8.14 (m, 2H), 8.07 (d, <i>J</i> = 8.1 Hz, 2H), 7.85 (d, <i>J</i> = 8.6 Hz, 1H), 7.80 (d, <i>J</i> = 8.1 Hz, 1H), 7.74 – 7.68 (m, 1H), 7.54 – 7.46 (m, 1H), 7.30 (d, <i>J</i> = 8.1 Hz, 2H), 2.55 (d, <i>J</i> = 7.2 Hz, 2H), 1.97 – 1.88 (m, 1H), 0.94 (d, <i>J</i> = 6.6 Hz, 6H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 157.51, 148.33, 143.23, 137.21, 136.67, 129.68, 129.58, 127.45, 127.35, 127.11, 126.09, 118.97, 45.26, 30.28, 22.40. HRMS (ESI) <i>m/z</i> calculated for C₁₉H₂₀N [M+H]⁺ 262.1595 found 262.1599.</p>
	<p>2-(2,5-dimethylphenyl)quinoline⁷ Prepared according to general procedure affords 6e (97mg, 51%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.20 (d, <i>J</i> = 8.5 Hz, 1H), 8.17 (d, <i>J</i> = 8.5 Hz, 1H), 7.86 (dd, <i>J</i> = 8.1, 1.0 Hz, 1H), 7.75 – 7.72 (m, 1H), 7.58 – 7.54 (m, 1H), 7.53 (d, <i>J</i> = 8.4 Hz, 1H), 7.32 (s, 1H), 7.21 (d, <i>J</i> = 7.8 Hz, 1H), 7.15 (dd, <i>J</i> = 7.8, 1.5 Hz, 1H), 2.38 (s, 3H), 2.36 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 160.46, 147.95, 140.56, 135.93, 135.47, 132.74, 130.78, 130.31, 129.62, 129.57, 129.25, 127.49, 126.74, 126.34, 122.44, 20.96, 19.84.</p>
	<p>3-methyl-2-phenylquinoline¹¹ Prepared according to general procedure affords 6f (142mg, 80%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 8.01 (d, <i>J</i> = 8.5 Hz, 1H), 7.81 (s, 1H), 7.59 (d, <i>J</i> = 8.1 Hz, 1H), 7.50 (m, 1H), 7.47 – 7.43 (m, 2H), 7.36 – 7.32 (m, 3H), 7.30 – 7.26 (m, 1H), 2.29 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 159.40, 145.56, 139.80, 135.62, 128.21, 128.07, 127.78, 127.63, 127.20, 127.08, 126.49, 125.62, 125.29, 19.51.</p>

	<p>2-(4-chlorophenyl)quinoline¹⁰ Prepared according to general procedure affords 6g (146mg, 75%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.18 (d, <i>J</i> = 8.6 Hz, 1H), 8.15 (d, <i>J</i> = 8.5 Hz, 1H), 8.12 – 8.08 (m, 2H), 7.80 (d, <i>J</i> = 8.6 Hz, 2H), 7.74 – 7.70 (m, <i>J</i> = 8.4, 6.9, 1.4 Hz, 1H), 7.54 – 7.50 (m, 1H), 7.49 – 7.45 (m, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ = 156.01, 148.24, 138.05, 136.99, 135.56, 129.88, 129.70, 129.04, 128.84, 127.52, 127.23, 126.53, 118.58.</p>
	<p>2-(4-bromophenyl)quinoline¹⁰ Prepared according to general procedure affords 6h (160mg, 70%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.23 (d, <i>J</i> = 8.5 Hz, 1H), 8.16 (d, <i>J</i> = 8.4 Hz, 1H), 8.08 – 8.04 (m, 2H), 7.86 – 7.82 (m, 2H), 7.74 (t, <i>J</i> = 7.7 Hz, 1H), 7.68 – 7.62 (m, 2H), 7.54 (t, <i>J</i> = 7.5 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ = 156.05, 148.23, 138.49, 136.99, 131.97, 129.87, 129.69, 129.09, 127.50, 127.23, 126.53, 123.92, 118.52.</p>
	<p>2-(3-(trifluoromethyl)phenyl)quinoline Prepared according to general procedure affords 6i (152mg, 69%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.36 (s, 1H), 8.22 (d, <i>J</i> = 7.8 Hz, 1H), 8.08 (m, 2H), 7.73 (d, <i>J</i> = 8.6 Hz, 1H), 7.70 (d, <i>J</i> = 8.1 Hz, 1H), 7.65 – 7.61 (m, <i>J</i> = 11.7, 4.5 Hz, 1H), 7.59 (d, <i>J</i> = 7.8 Hz, 1H), 7.50 (t, <i>J</i> = 7.8 Hz, 1H), 7.43 (t, <i>J</i> = 7.5 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ = 154.45, 147.19, 139.30, 136.06, 129.62, 128.90, 128.76, 128.23, 126.45, 126.32, 125.70, 124.85, 124.82, 124.79, 124.76, 123.38, 123.35, 123.32, 123.29, 117.45. HRMS (ESI) <i>m/z</i> calculated for C₁₆H₁₁NF₃ [M+H]⁺ 274.0838 found 274.0845.</p>
	<p>2-(4-methoxyphenyl)quinoline¹⁰ Prepared according to general procedure affords 6j (143mg, 75%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.34 (d, <i>J</i> = 5.4 Hz, 1H), 8.25 (d, <i>J</i> = 8.6 Hz, 1H), 8.19 (d, <i>J</i> = 8.6 Hz, 2H), 7.86 (d, <i>J</i> = 8.6 Hz, 1H), 7.83 (d, <i>J</i> = 8.1 Hz, 1H), 7.75 (t, <i>J</i> = 7.6 Hz, 1H), 7.54 (t, <i>J</i> = 7.4 Hz, 1H), 7.07 (d, <i>J</i> = 8.7 Hz, 2H), 3.90 (s, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ = 160.84, 156.90, 148.32, 136.66, 132.25, 129.61, 129.55, 128.93, 127.50, 126.94, 125.94, 118.56, 114.25, 55.40.</p>
	<p>2-(4-ethoxyphenyl)quinoline⁷ Prepared according to general procedure affords 6k (150mg, 74%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ = 8.21 – 8.09 (m, 4H), 7.83 (d, <i>J</i> = 8.6 Hz, 1H), 7.79 (d, <i>J</i> = 8.1 Hz, 1H), 7.70 (t, <i>J</i> = 8.1 Hz, 1H), 7.49 (t, <i>J</i> = 7.5 Hz, 1H), 7.03 (d, <i>J</i> = 8.7 Hz, 2H), 4.11 (q, <i>J</i> = 7.0 Hz, 2H), 1.45 (t, <i>J</i> = 7.0 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ = 160.22, 156.99, 148.30, 136.62, 132.09, 129.56, 129.51, 128.88, 127.43, 126.91, 125.89, 118.57, 114.78, 63.58, 14.83.</p>

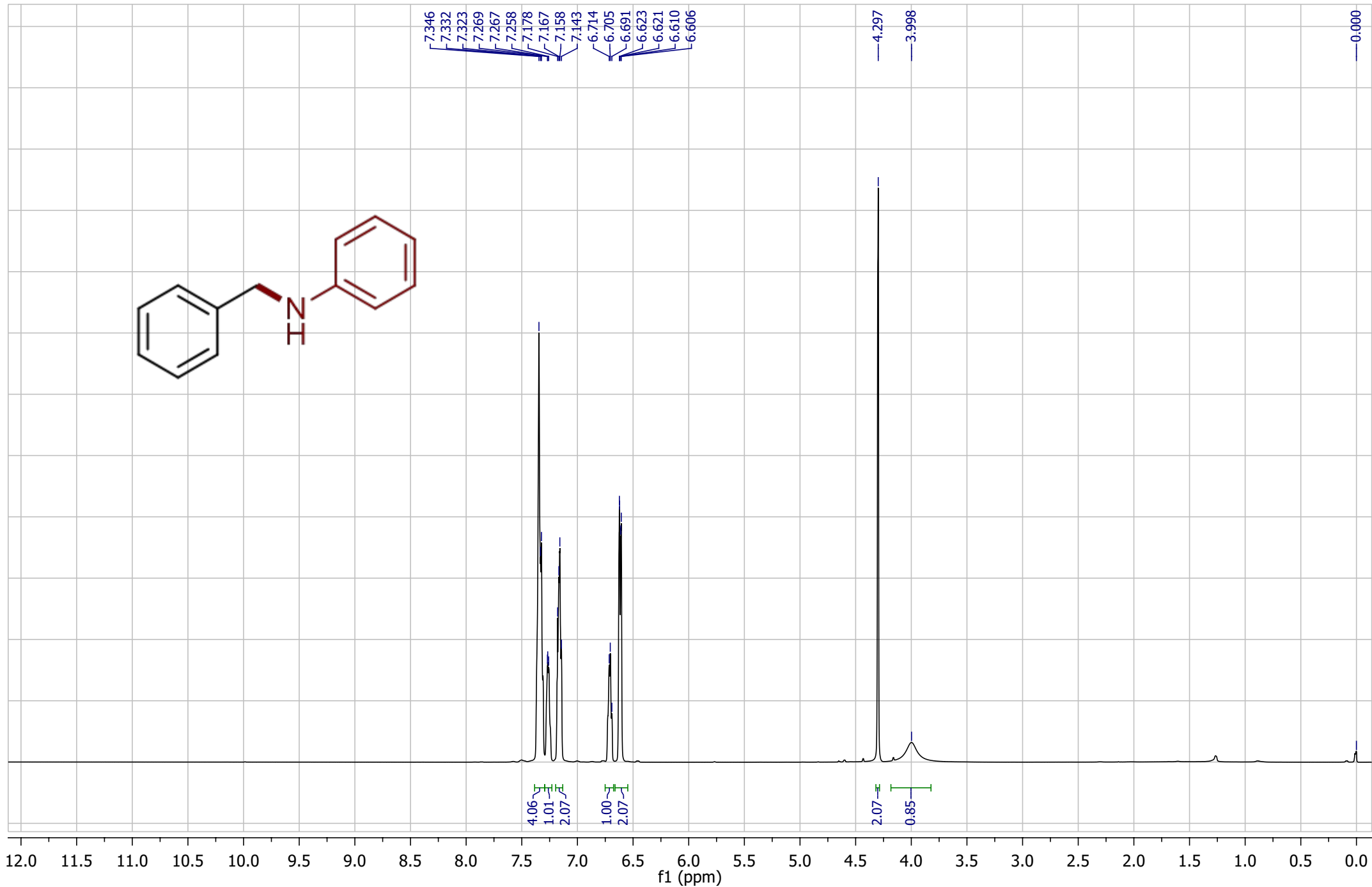
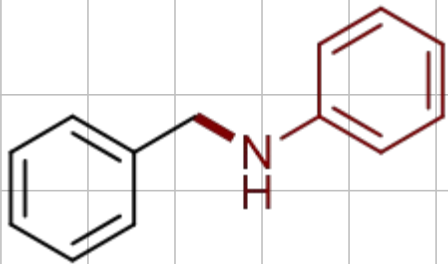
	<p>1-phenylethanol¹ Prepared according to general procedure affords 7a (99.5mg 98%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.27 (d, <i>J</i> = 4.8 Hz, 4H), 7.23 – 7.17 (m, 1H), 4.73 (q, <i>J</i> = 6.3 Hz, 1H), 3.24 (s, 1H), 1.37 (d, <i>J</i> = 6.7 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 146.03, 128.48, 127.38, 125.55, 70.19, 25.22.</p>
	<p>1-(p-tolyl)ethanol¹ Prepared according to general procedure affords 7b (96.5mg 95%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.19 (d, <i>J</i> = 7.6 Hz, 2H), 7.10 (d, <i>J</i> = 7.4 Hz, 2H), 4.74 (q, <i>J</i> = 6.2 Hz, 1H), 2.93 (s, 1H), 2.31 (d, <i>J</i> = 2.1 Hz, 3H), 1.40 (d, <i>J</i> = 6.7 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 143.10, 136.96, 129.15, 125.49, 70.08, 25.17, 21.17.</p>
	<p>1-(4-ethylphenyl)ethanol Prepared according to general procedure affords 7c (99.3mg 98%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.24 (d, <i>J</i> = 8.1 Hz, 2H), 7.15 (d, <i>J</i> = 8.0 Hz, 2H), 4.79 (q, <i>J</i> = 6.3 Hz, 1H), 2.62 (q, <i>J</i> = 7.6 Hz, 2H), 2.41 (s, 1H), 1.43 (d, <i>J</i> = 6.5 Hz, 3H), 1.26 – 1.18 (m, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 143.45, 143.24, 127.97, 125.53, 70.16, 28.59, 25.07, 15.69. HRMS (ESI) <i>m/z</i> calculated for C₁₀H₁₄ONa [M+Na]⁺ 173.0942 found 173.0926.</p>
	<p>1-(4-isobutylphenyl)ethanol Prepared according to general procedure affords 7d (96.0mg, 95%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.21 (d, <i>J</i> = 8.1 Hz, 2H), 7.07 (d, <i>J</i> = 8.0 Hz, 2H), 4.75 (q, <i>J</i> = 6.5 Hz, 1H), 2.79 (s, 1H), 2.44 (d, <i>J</i> = 7.2 Hz, 2H), 1.89 – 1.78 (m, <i>J</i> = 13.6, 6.8 Hz, 1H), 1.40 (d, <i>J</i> = 6.5 Hz, 3H), 0.88 (dd, <i>J</i> = 6.7, 0.8 Hz, 6H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 143.26, 140.81, 129.18, 125.32, 70.11, 45.17, 30.31, 25.07, 22.45. HRMS (ESI) <i>m/z</i> calculated for C₁₂H₁₈ONa [M+Na]⁺ 201.1255 found 201.1248.</p>
	<p>1-(4-ethoxyphenyl)ethanol¹ Prepared according to general procedure affords 7d (91.0mg, 90%) white solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.24 – 7.20 (m, 2H), 6.82 (d, <i>J</i> = 8.7 Hz, 2H), 4.74 (q, <i>J</i> = 6.3 Hz, 1H), 3.98 (q, <i>J</i> = 7.0 Hz, 2H), 2.70 (s, 1H), 1.44 – 1.35 (m, 6H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 157.13, 136.94, 125.61, 113.27, 68.70, 62.38, 23.95, 13.78.</p>

	<p>1-(4-fluorophenyl)ethanol¹³ Prepared according to general procedure affords 7f (76.1mg, 75%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.25 (d, <i>J</i> = 3.3 Hz, 2H), 7.04 – 6.92 (m, <i>J</i> = 8.3 Hz, 2H), 4.77 (q, <i>J</i> = 5.4 Hz, 1H), 2.99 (s, 1H), 1.39 (d, <i>J</i> = 3.8 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 163.02, 161.08, 141.59, 127.10, 127.04, 115.25, 115.08, 69.57, 25.21.</p>
	<p>1-(4-bromophenyl)ethanol¹ Prepared according to general procedure affords 7g (92.9mg, 92%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.41 (d, <i>J</i> = 8.4 Hz, 2H), 7.15 (d, <i>J</i> = 8.4 Hz, 2H), 4.73 (q, <i>J</i> = 6.5 Hz, 1H), 3.09 (s, 1H), 1.38 (d, <i>J</i> = 6.5 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 144.79, 131.50, 127.22, 121.08, 69.60, 25.20.</p>
	<p>1-(4-chlorophenyl)ethanol¹ Prepared according to general procedure affords 7h (91.9mg, 92%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.30 – 7.23 (m, 4H), 4.81 (q, <i>J</i> = 6.2, 1H), 2.47 (s, 1H), 1.42 (d, <i>J</i> = 6.5 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 144.27, 133.01, 128.58, 126.83, 69.67, 25.24.</p>
	<p>1-(4-(1H-imidazol-1-yl)phenyl)ethanol Prepared according to general procedure affords 7j (70.6mg, 70%) pale yellow solid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) 7.74 (d, <i>J</i> = 12.4 Hz, 1H), 7.50 (d, <i>J</i> = 8.1 Hz, 2H), 7.37 – 7.33 (m, <i>J</i> = 7.2, 4.8 Hz, 2H), 7.27 – 7.24 (m, 1H), 7.16 (d, <i>J</i> = 5.9 Hz, 1H), 4.97 (q, <i>J</i> = 6.4 Hz, 1H), 2.94 (s, 1H), 1.53 (d, <i>J</i> = 6.5 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 145.76, 135.57, 130.22, 127.38, 126.97, 121.50, 118.29, 69.51, 25.45. HRMS (ESI) <i>m/z</i> calculated for C₁₁H₁₃N₂O [M+H]⁺ 189.1028 found 189.1028 .</p>
	<p>1-phenylpropan-1-ol¹ Prepared according to general procedure affords 7k (81.2mg, 80%) colorless liquid obtained after column chromatography: ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) 7.36 – 7.13 (m, 5H), 4.45 (t, <i>J</i> = 6.6 Hz, 1H), 2.80 (s, 1H), 1.78 – 1.61 (m, 2H), 0.84 (t, <i>J</i> = 7.5 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 144.73, 128.37, 127.42, 126.11, 75.90, 31.88, 10.21.</p>
	<p>1H-indole² pale yellow solid (90.0mg, 90%) ; ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.94 (s, 1H), 7.64 (dd, <i>J</i> = 7.9, 0.8 Hz, 1H), 7.32 (dd, <i>J</i> = 8.1, 0.9 Hz, 1H), 7.20 – 7.16 (m, 1H), 7.14 – 7.09 (m, 2H), 6.55 – 6.52 (m, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 135.71, 127.78, 124.16, 121.93, 120.69, 119.77, 111.03, 102.49.</p>

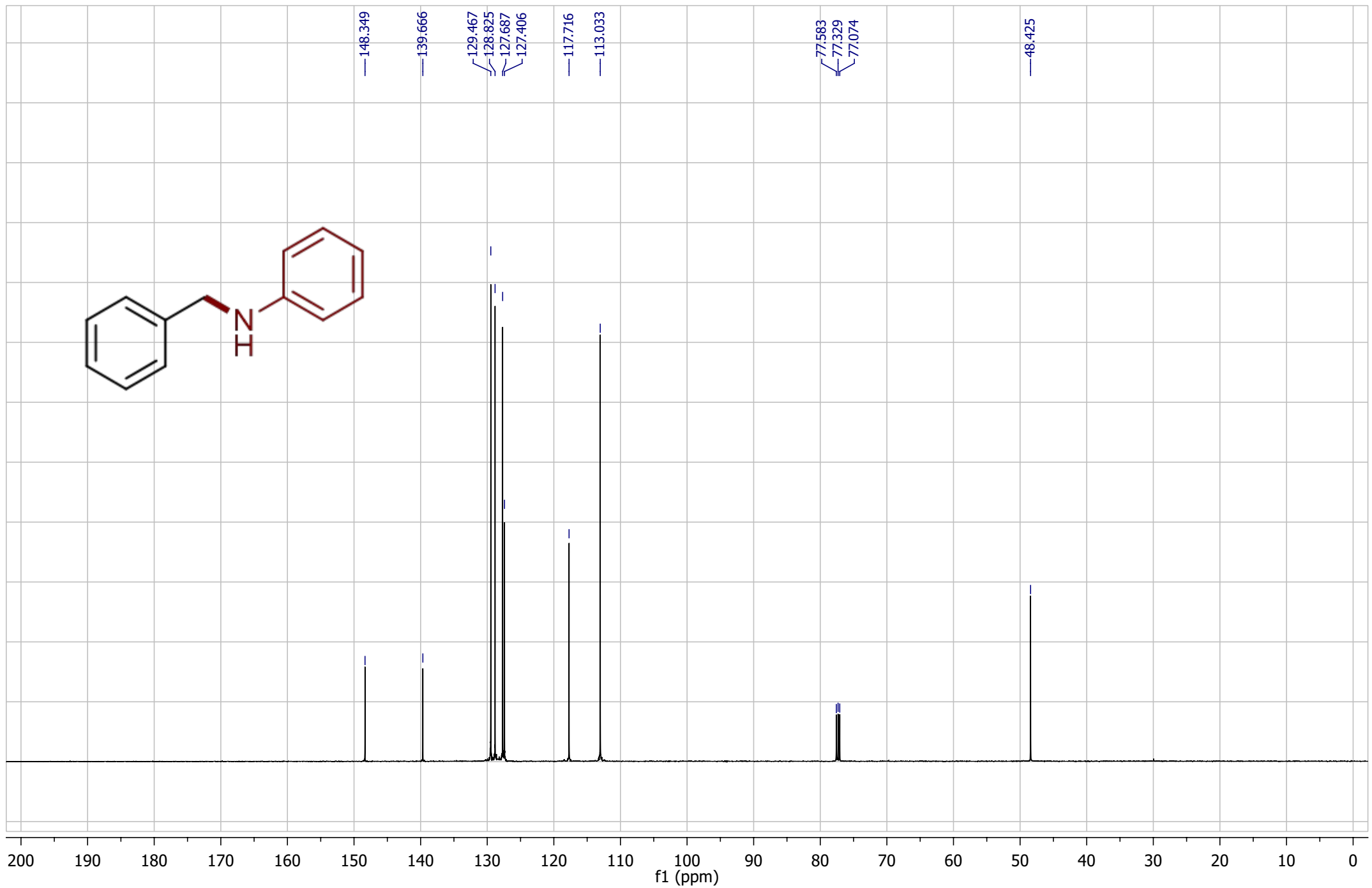
	<p>9,10-dihydroacridine¹⁴ white solid (27mg, 16%); ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.08 (dd, <i>J</i> = 15.0, 7.5 Hz, 4H), 6.85 (t, <i>J</i> = 7.4 Hz, 2H), 6.66 (d, <i>J</i> = 7.8 Hz, 2H), 5.95 (s, 1H), 4.05 (s, 2H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 140.15, 128.64, 127.03, 120.67, 120.07, 113.47, 31.41.</p>
	<p>5,6-dihydrophenanthridine¹⁴ white solid (7mg, 4%); ¹H NMR (500 MHz, CDCl₃, 25°C, TMS) δ 7.68 (t, 2H), 7.30 (t, <i>J</i> = 7.6 Hz, 1H), 7.21 (t, <i>J</i> = 7.4 Hz, 1H), 7.10 (dd, <i>J</i> = 11.9, 4.6 Hz, 2H), 6.83 (td, <i>J</i> = 7.8, 1.0 Hz, 1H), 6.66 (d, <i>J</i> = 7.9 Hz, 1H), 4.39 (s, 2H), 3.98 (s, 1H). ¹³C NMR (126 MHz, CDCl₃, 25°C, TMS) δ 152.41, 131.62, 130.17, 128.93, 127.85, 127.76, 126.55, 126.17, 125.30, 123.12, 121.22, 120.88, 28.68.</p>

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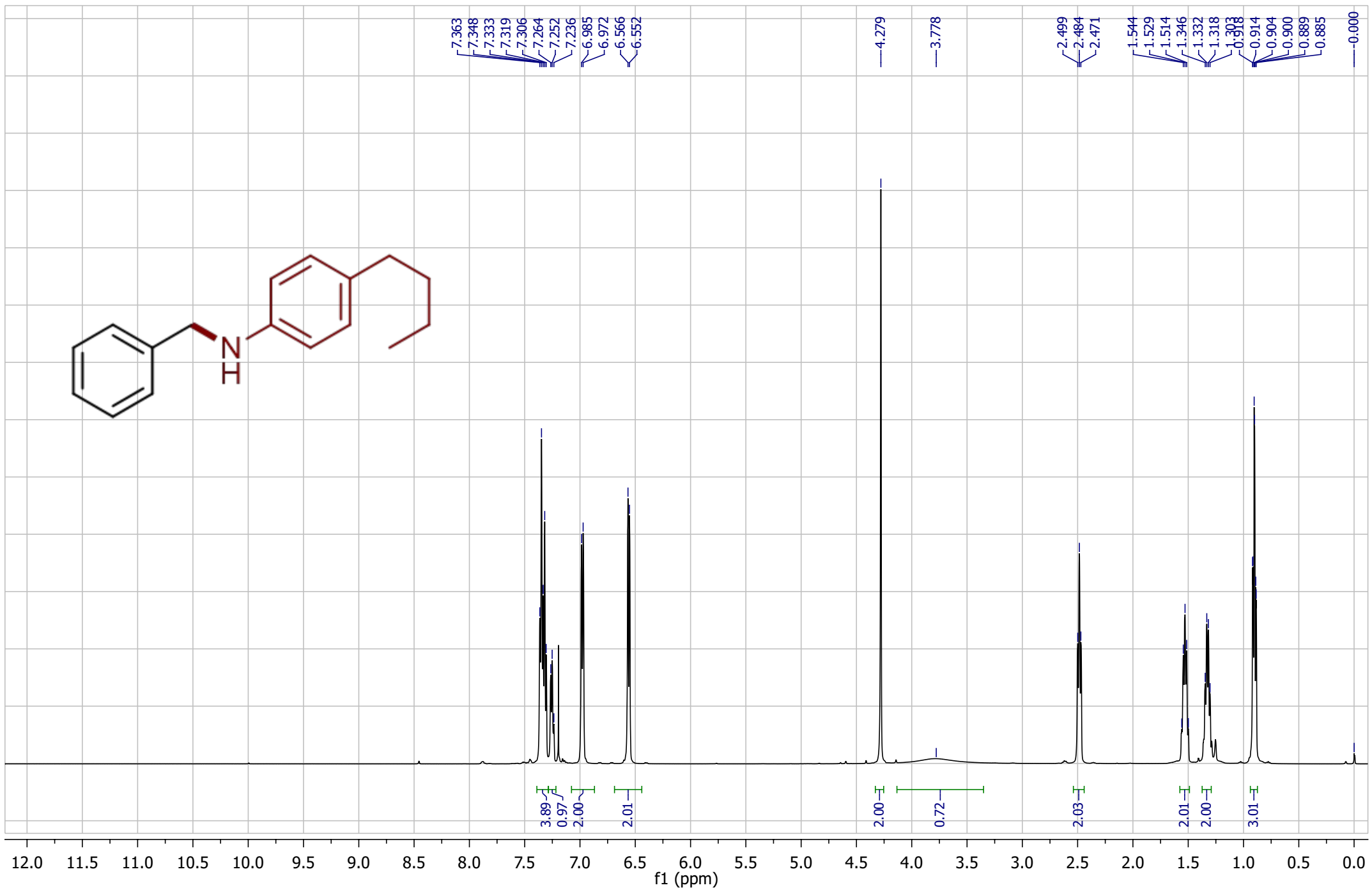
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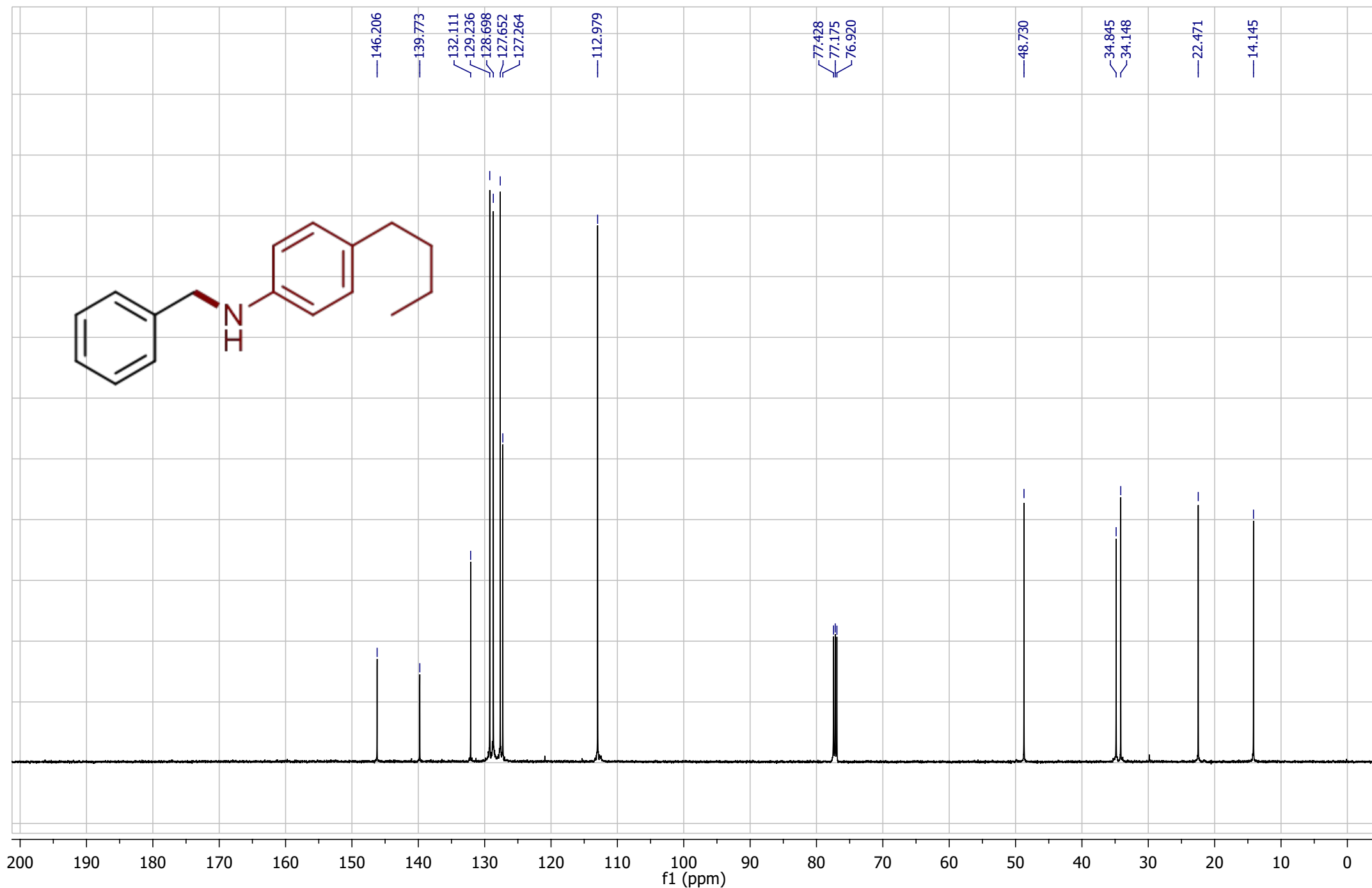
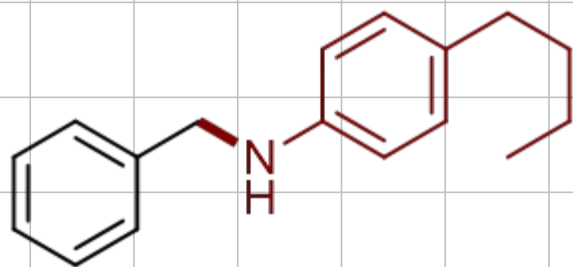
N-benzylaniline (3a)



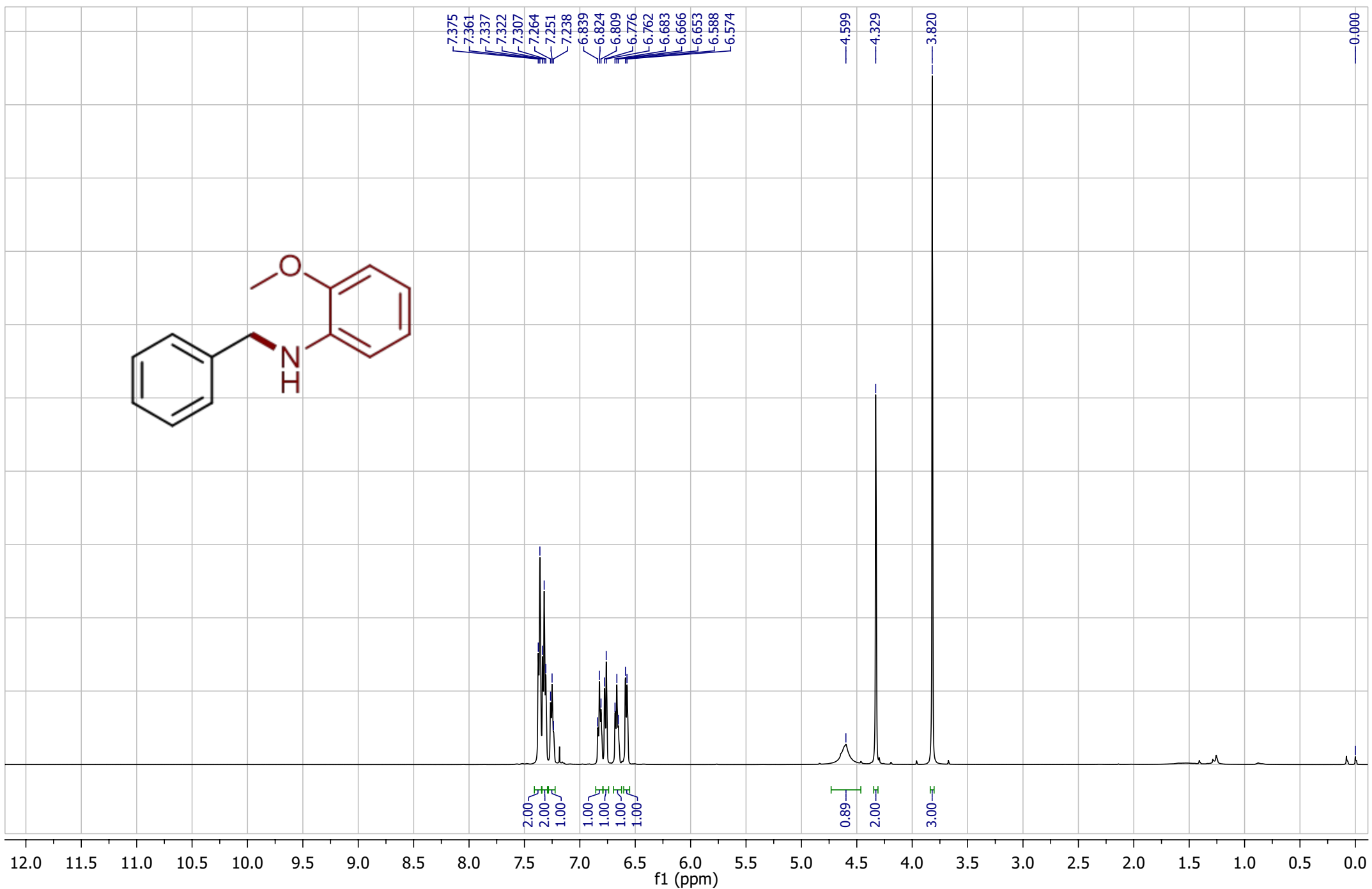
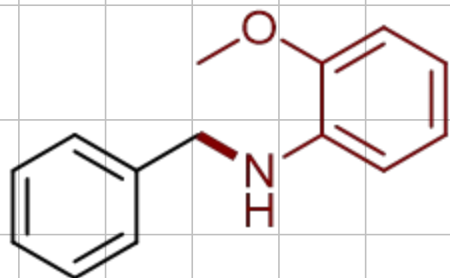
N-benzylaniline (3a)



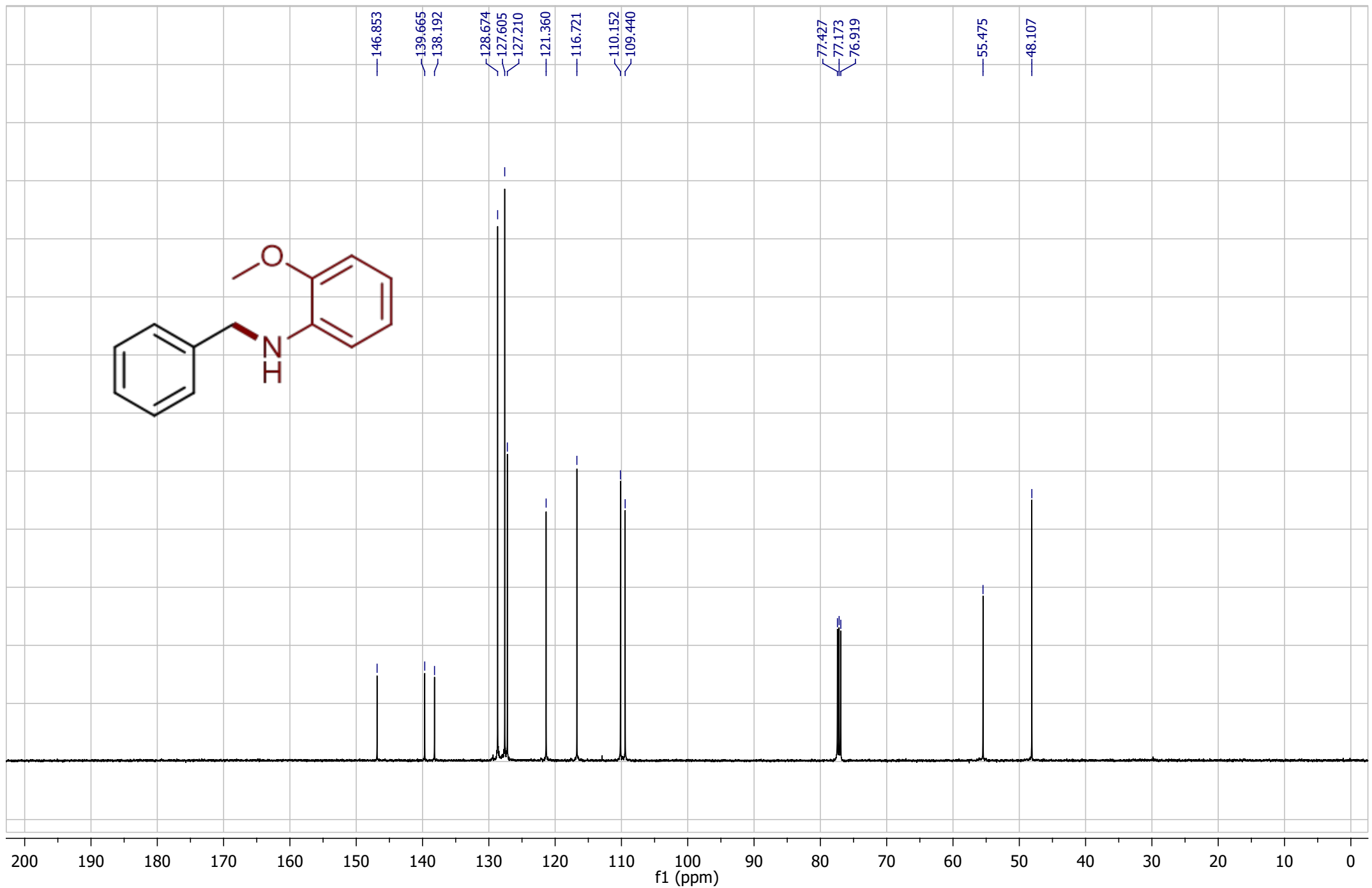
N-benzyl-4-butylaniline (3b)



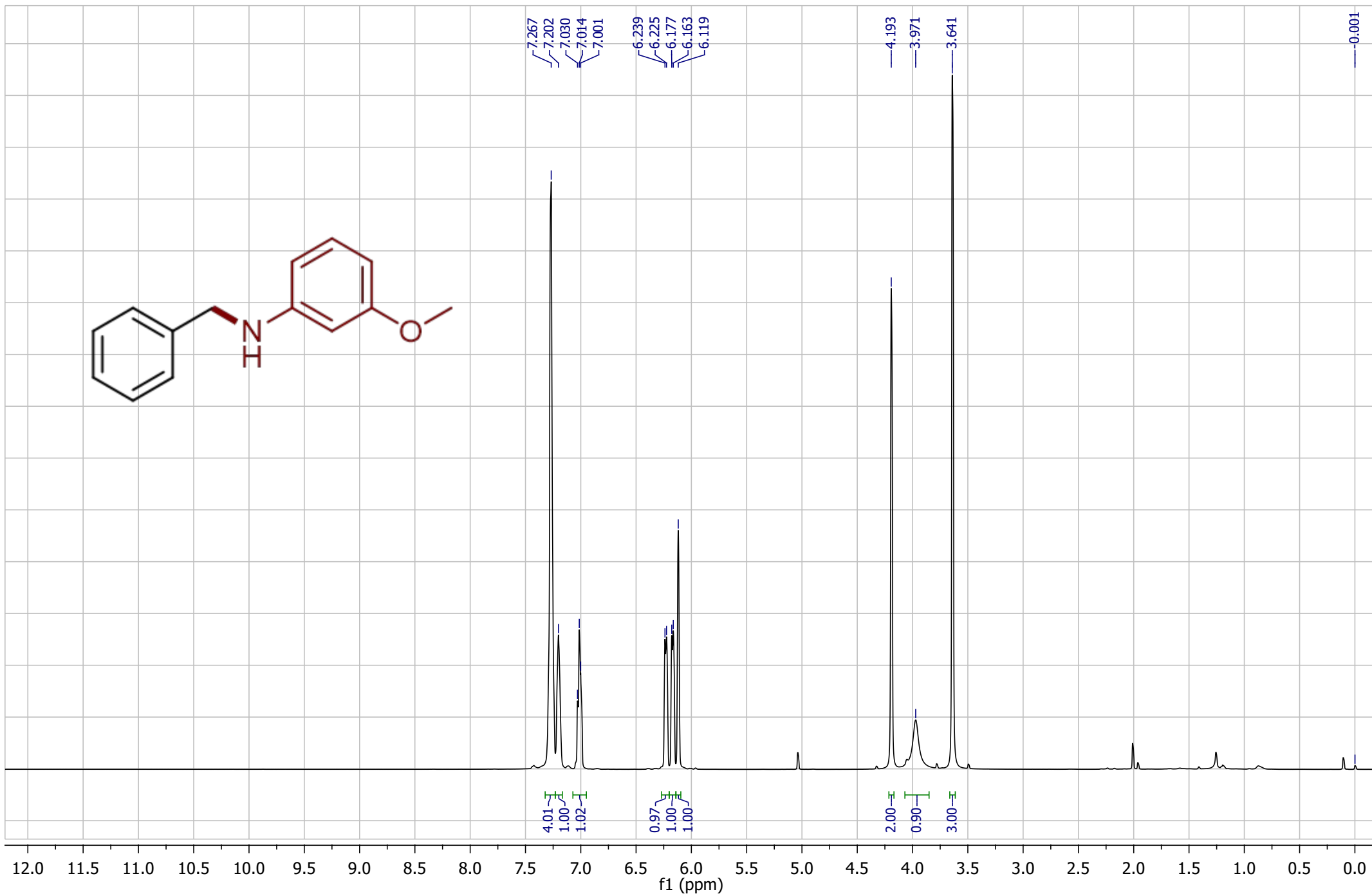
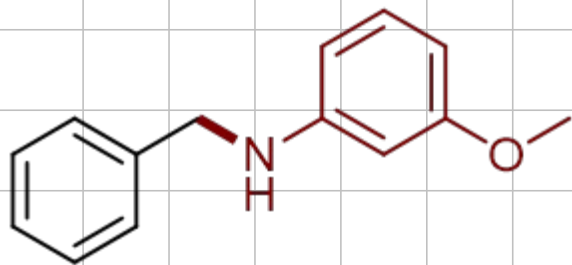
N-benzyl-4-butylaniline (3b)



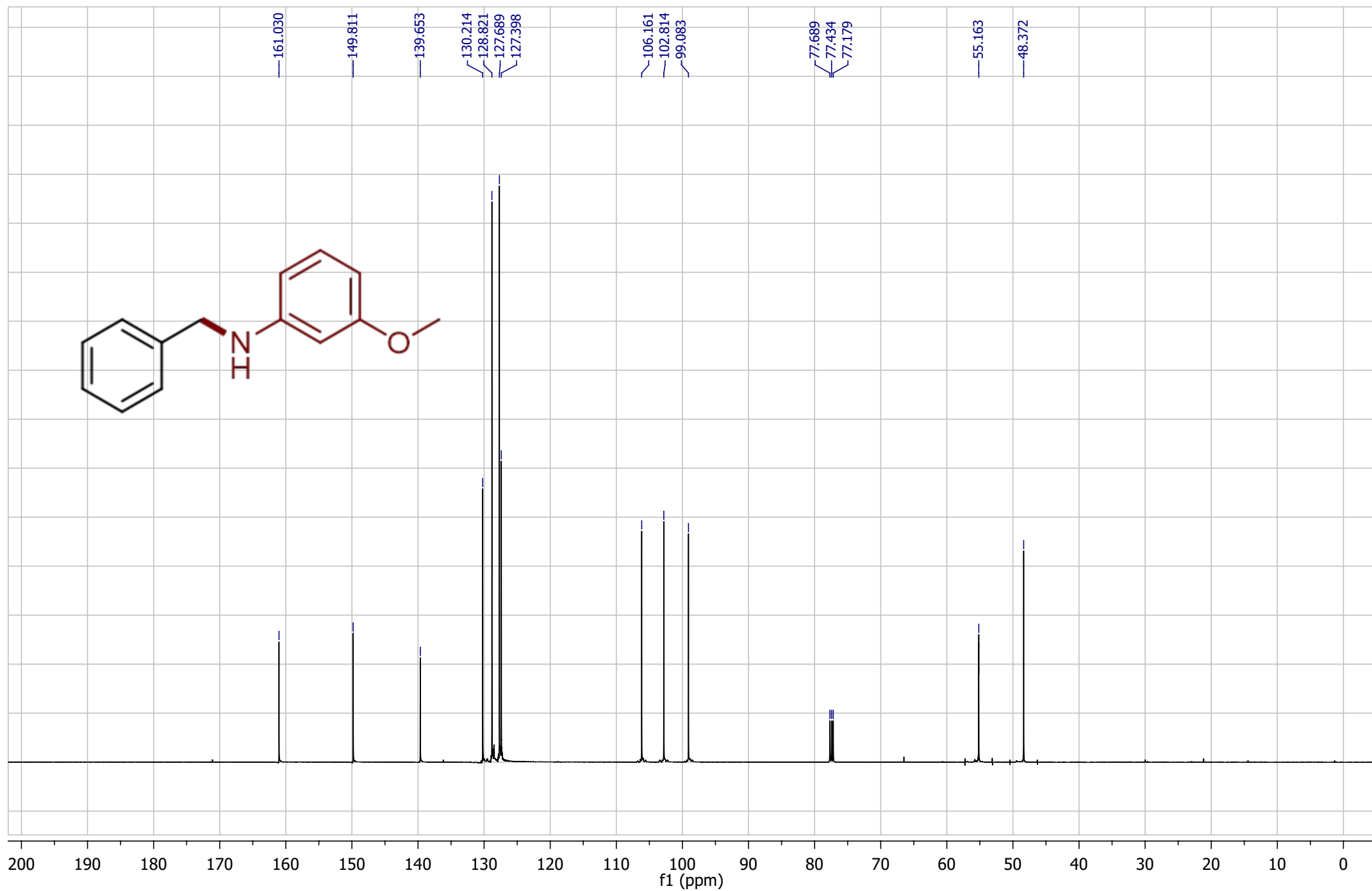
N-benzyl-2-methoxyaniline (3c)



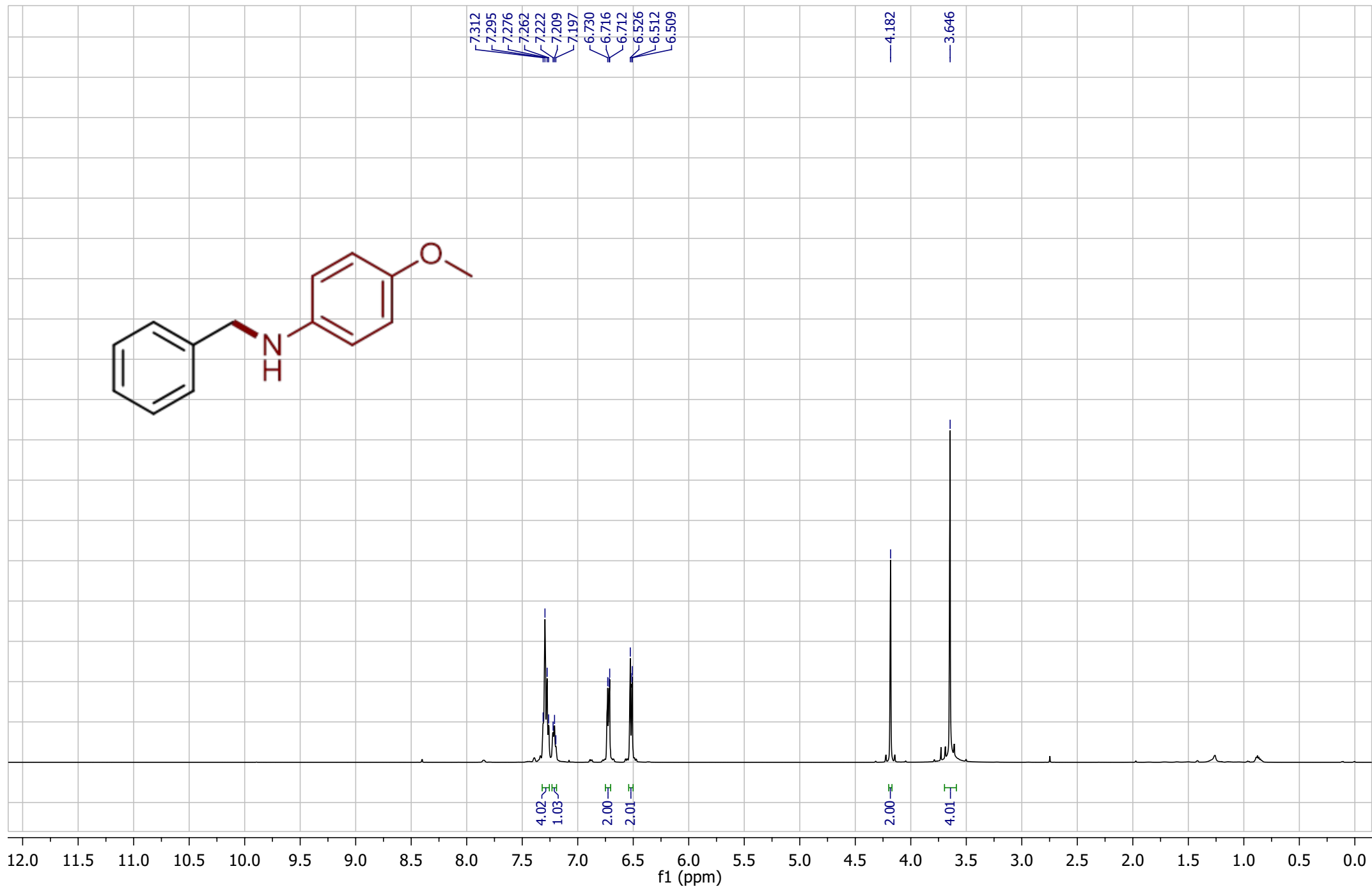
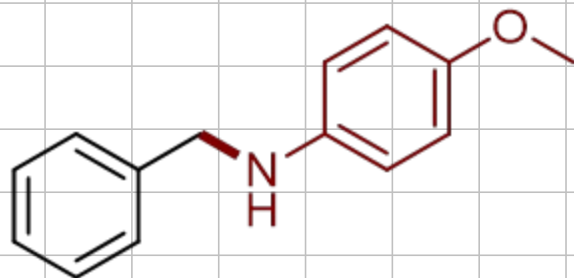
N-benzyl-2-methoxyaniline (3c)



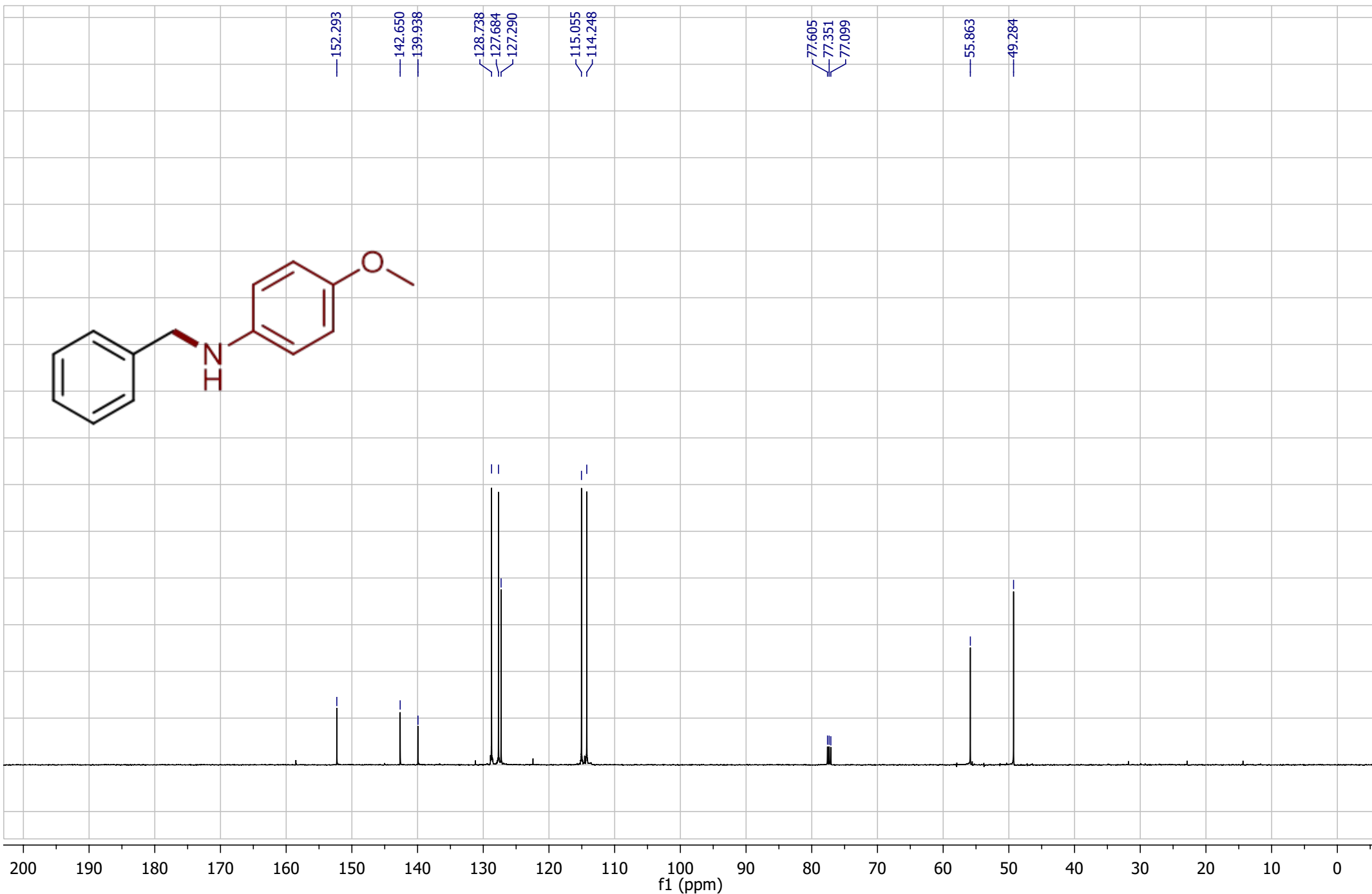
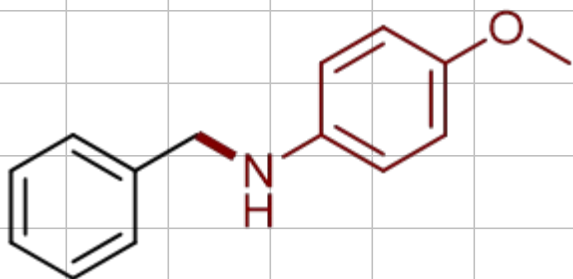
N-benzyl-3-methoxyaniline (3d)



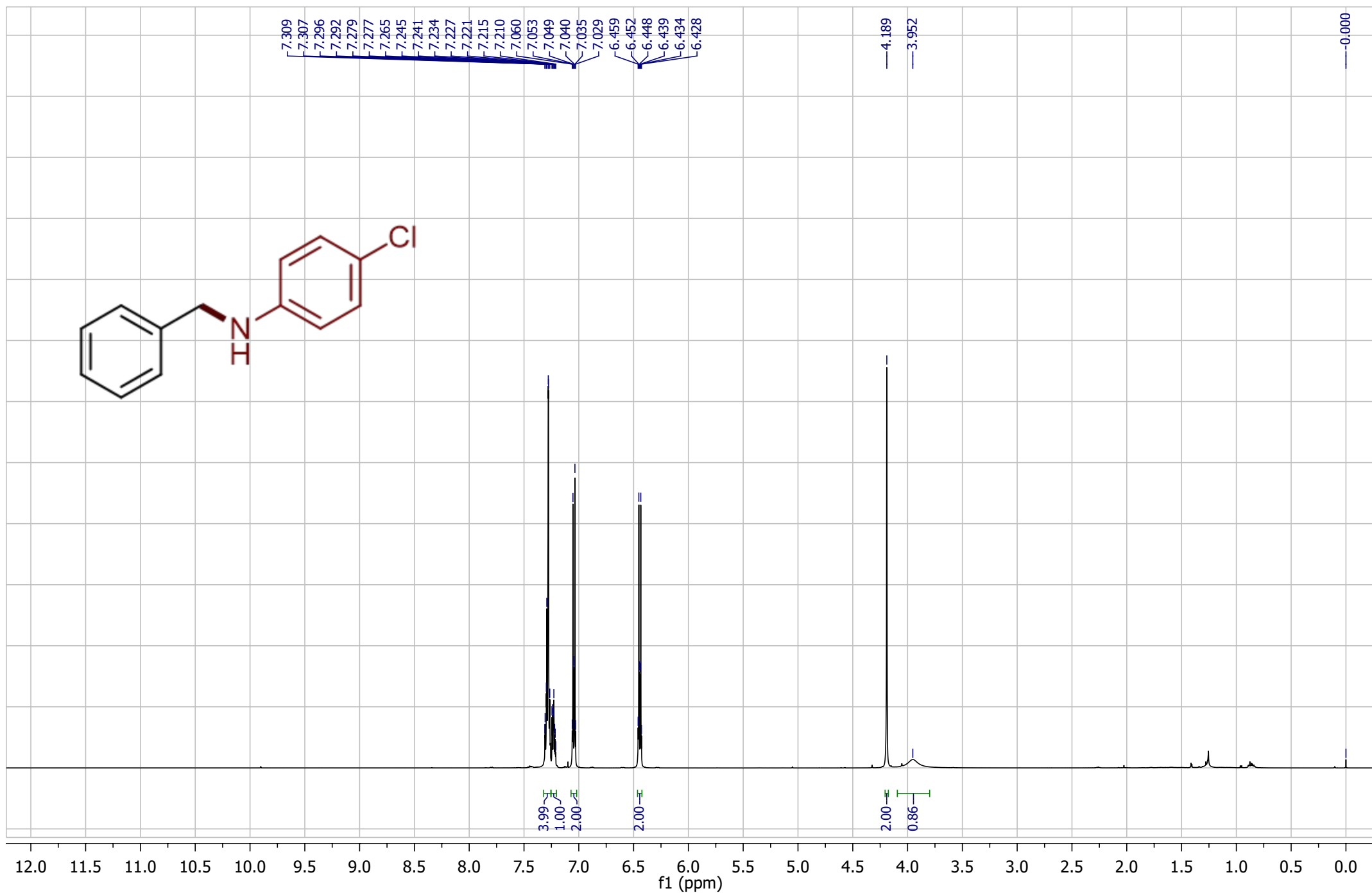
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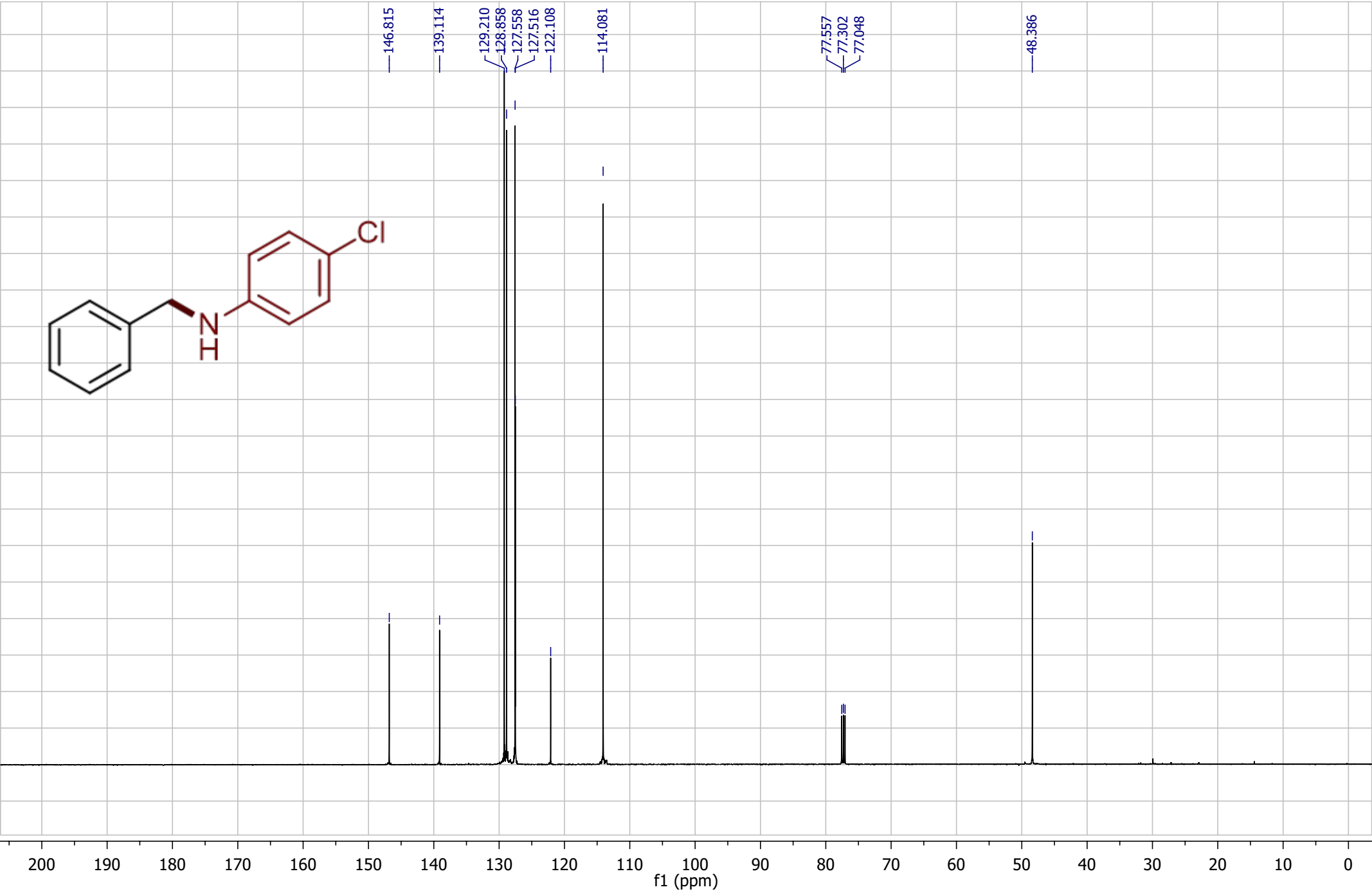
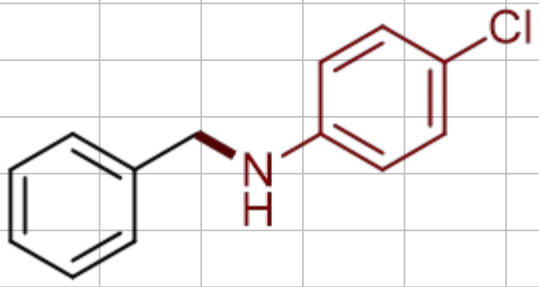
N-benzyl-4-methoxyaniline (3e)



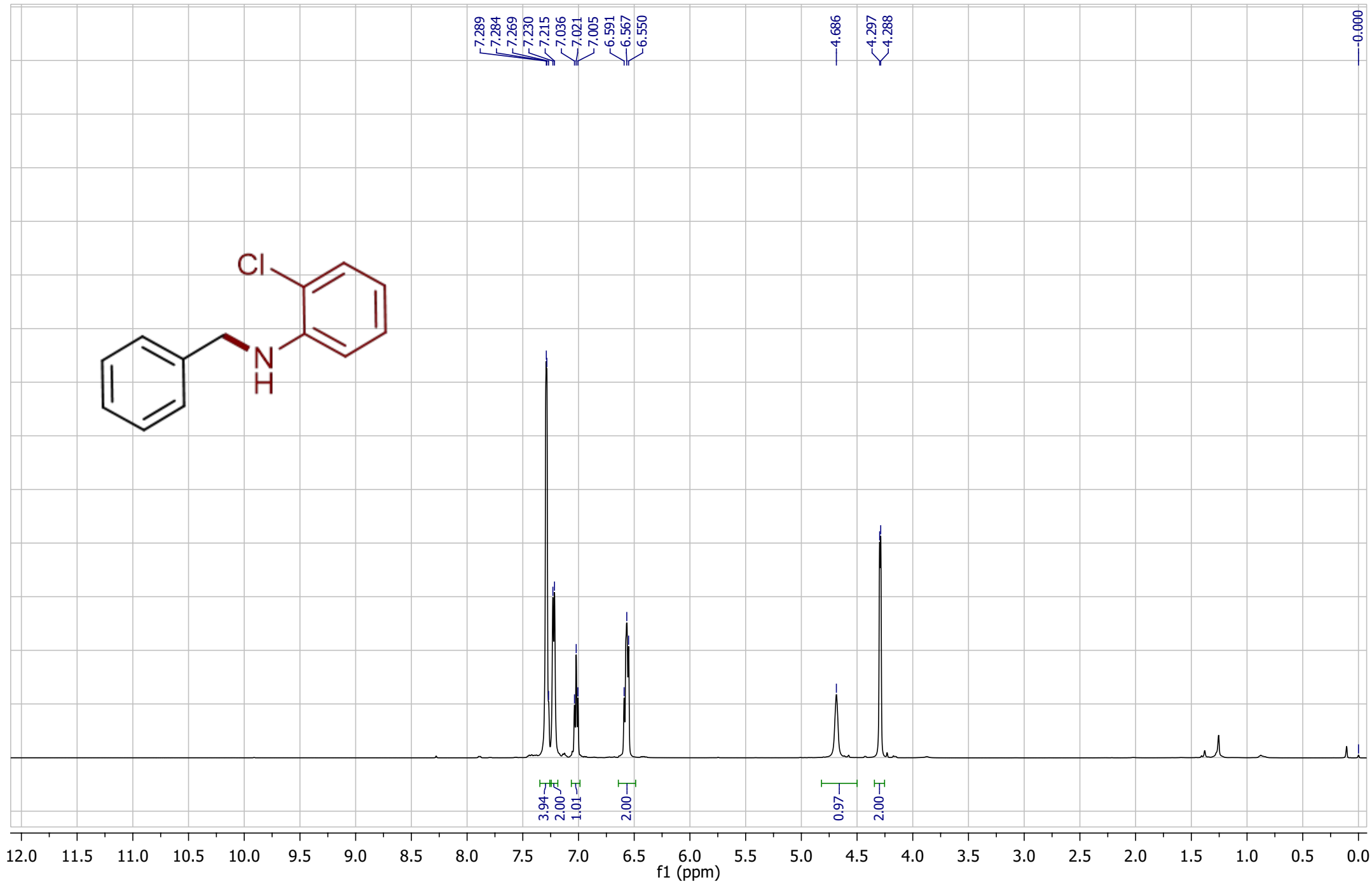
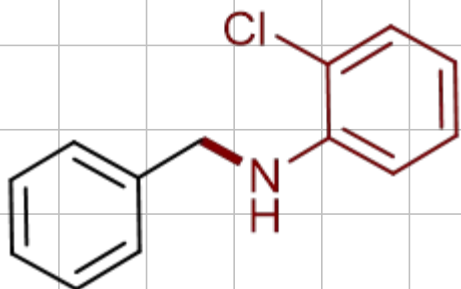
N-benzyl-4-methoxyaniline (3e)



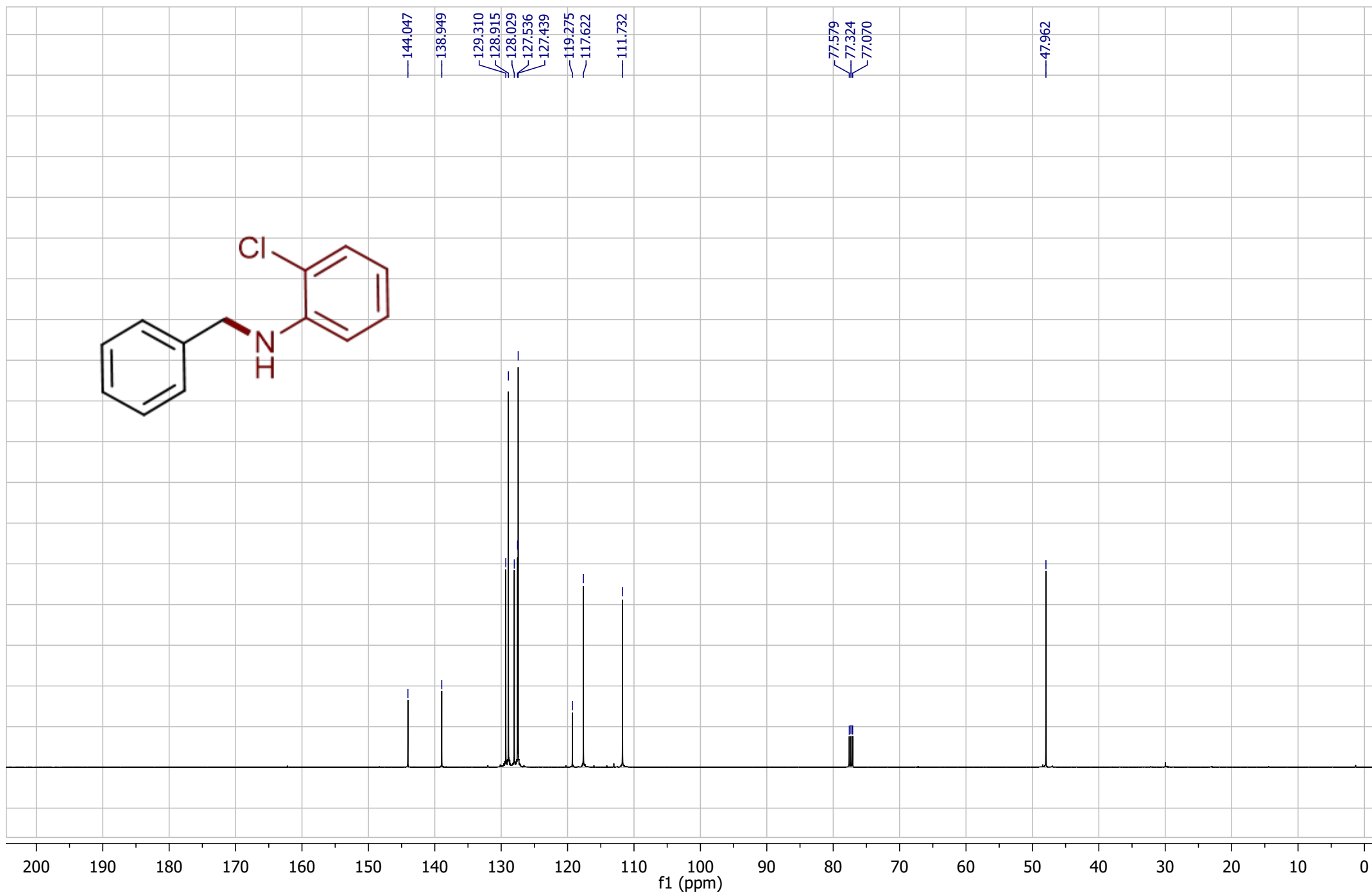
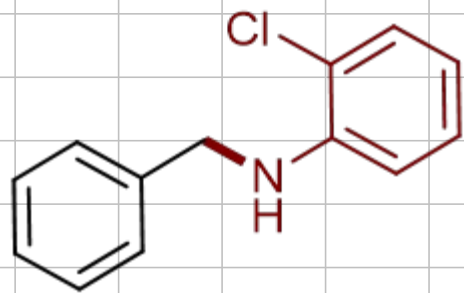
N-benzyl-4-chloroaniline (3f)



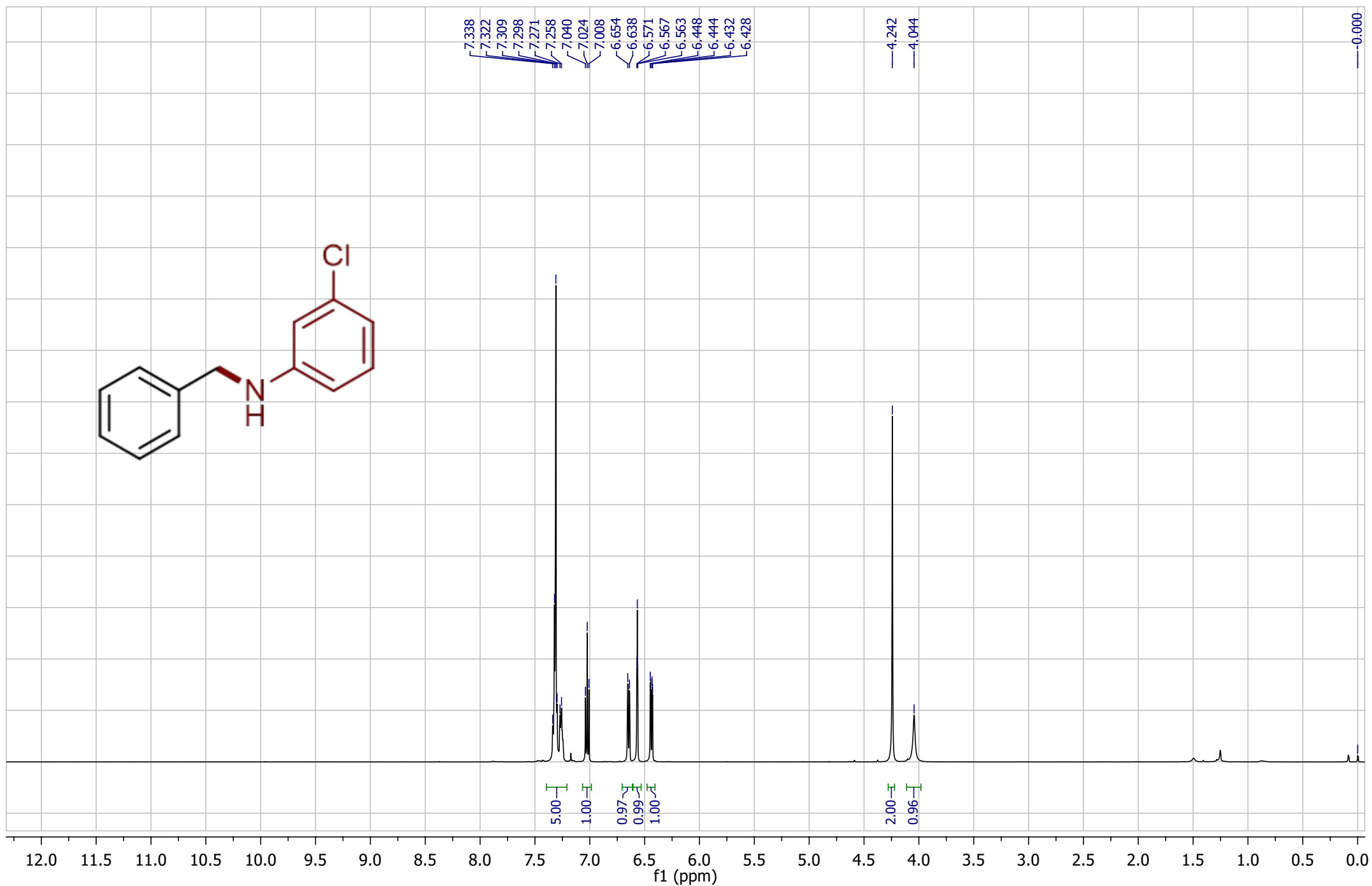
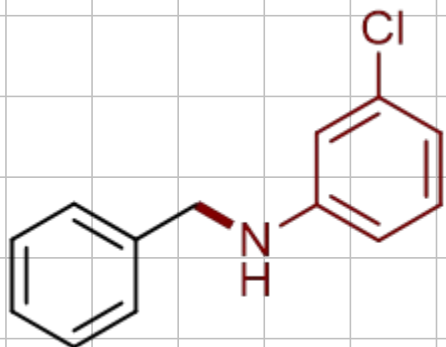
N-benzyl-4-chloroaniline (3f)



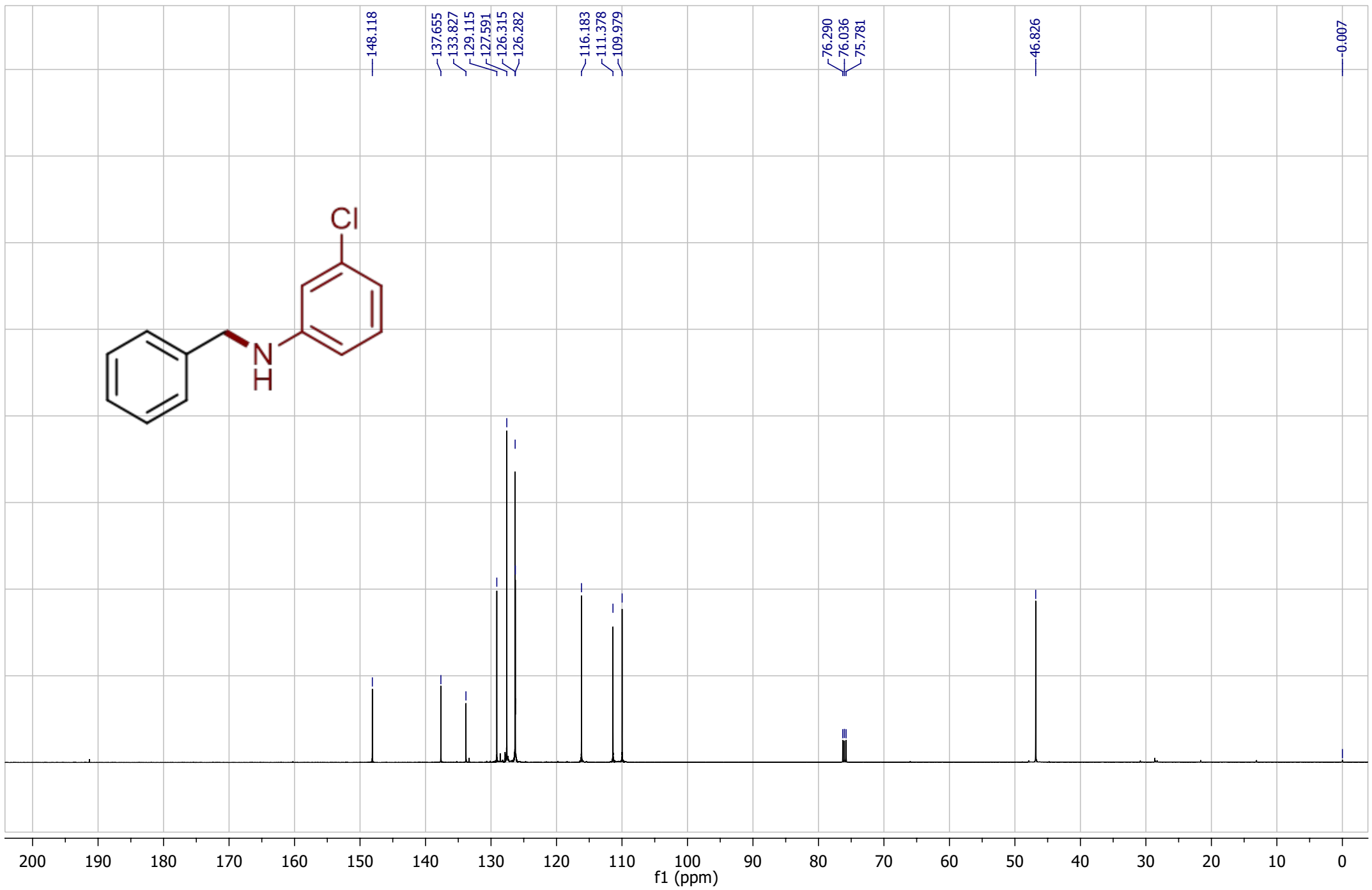
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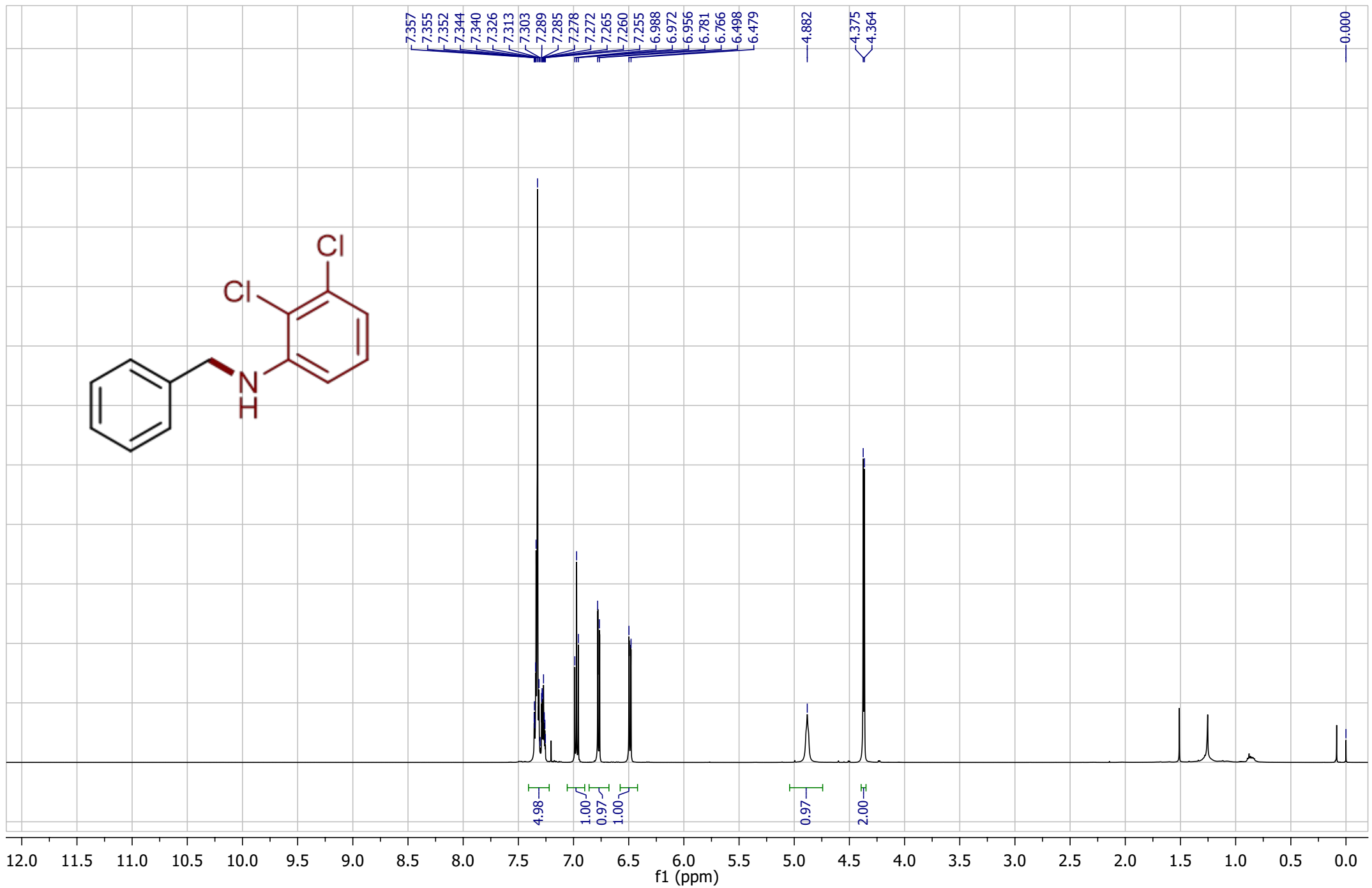
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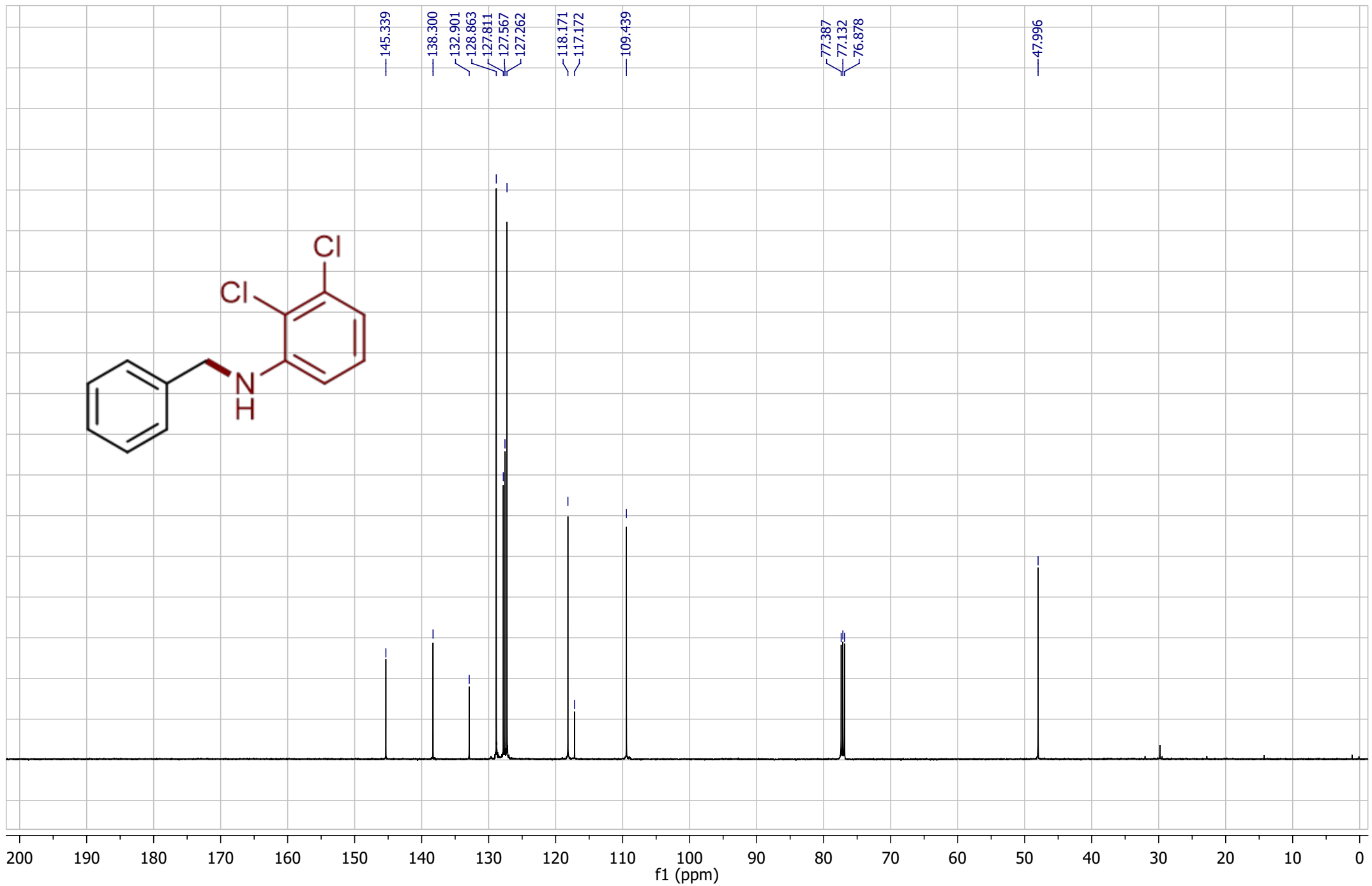
N-benzyl-3-chloroaniline (3h)



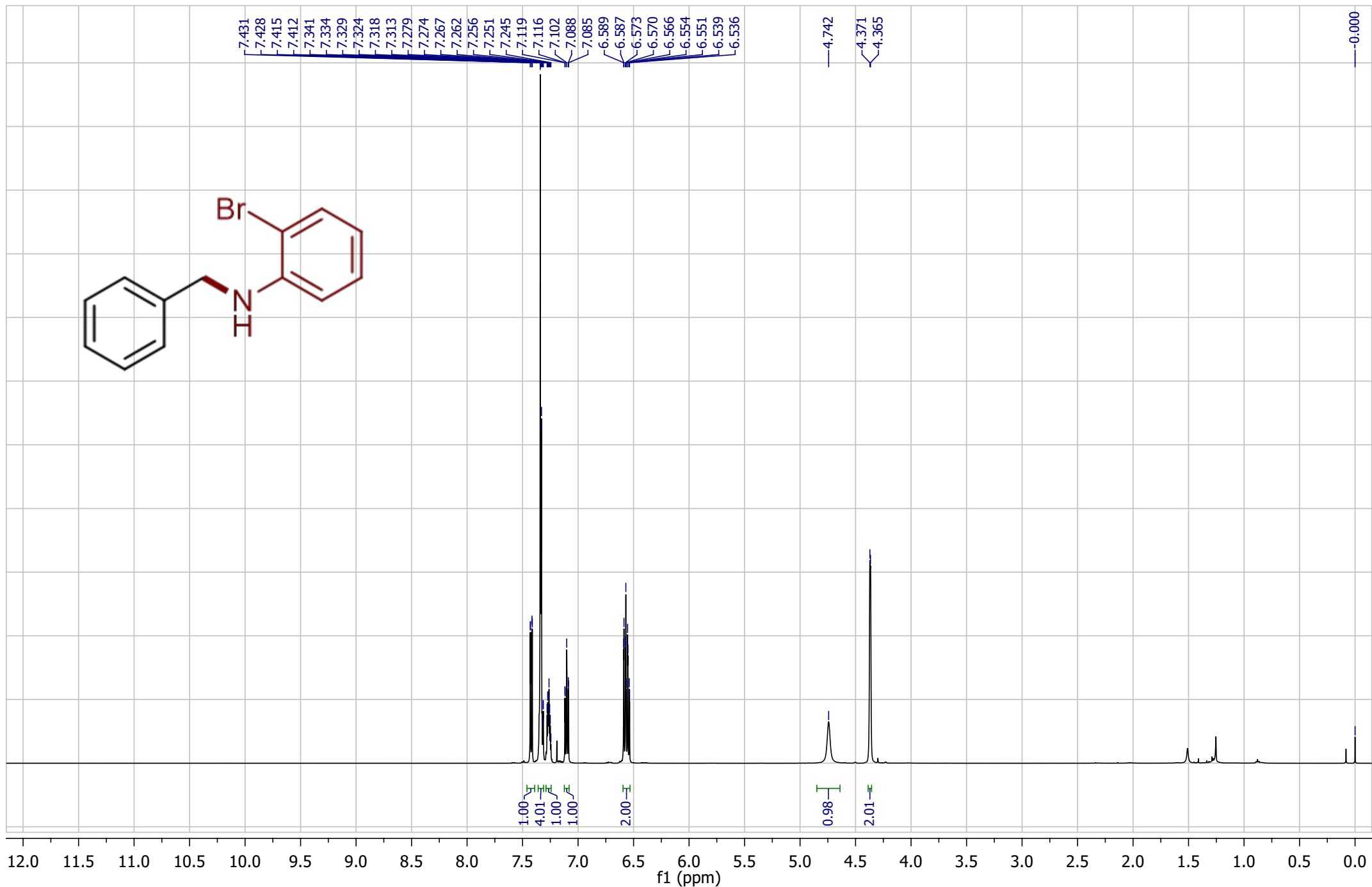
N-benzyl-3-chloroaniline (3h)



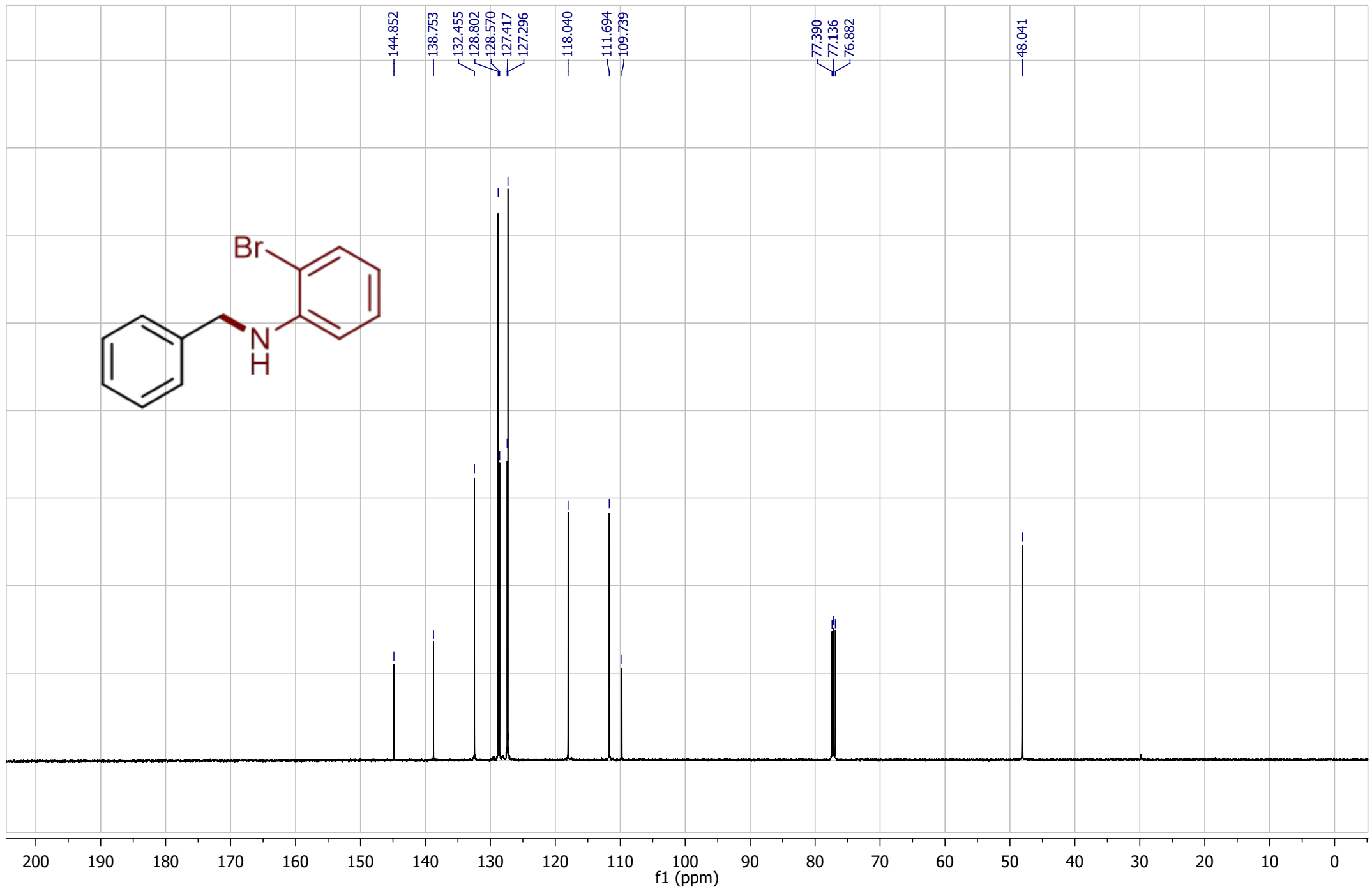
N-benzyl-2,3-dichloroaniline (3i)



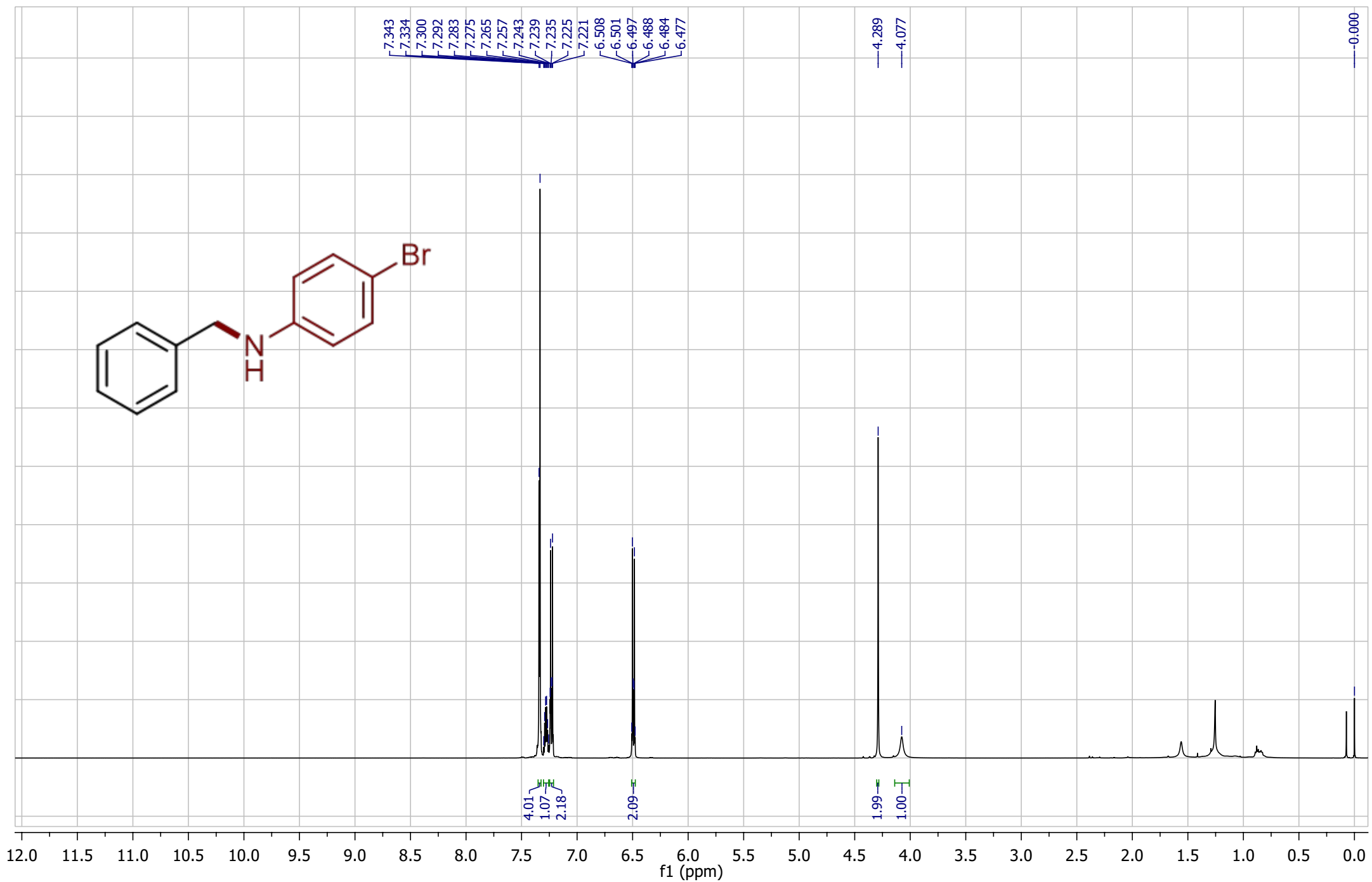
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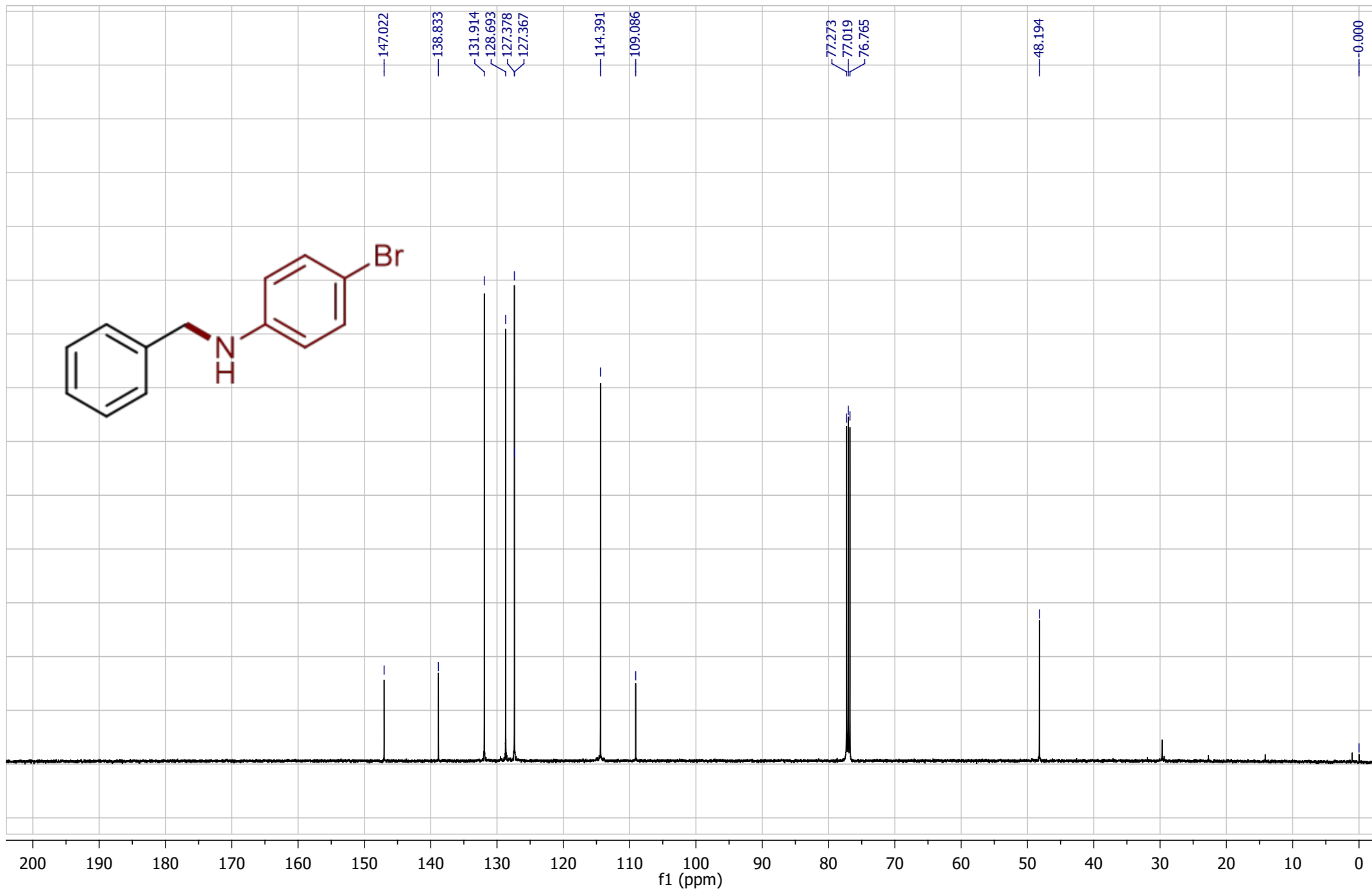
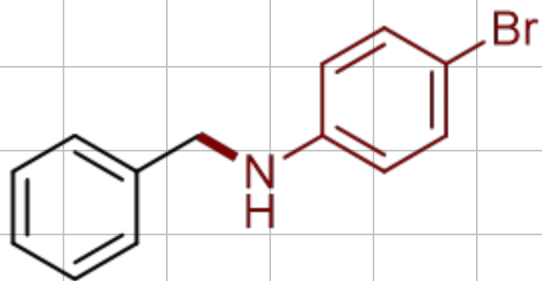
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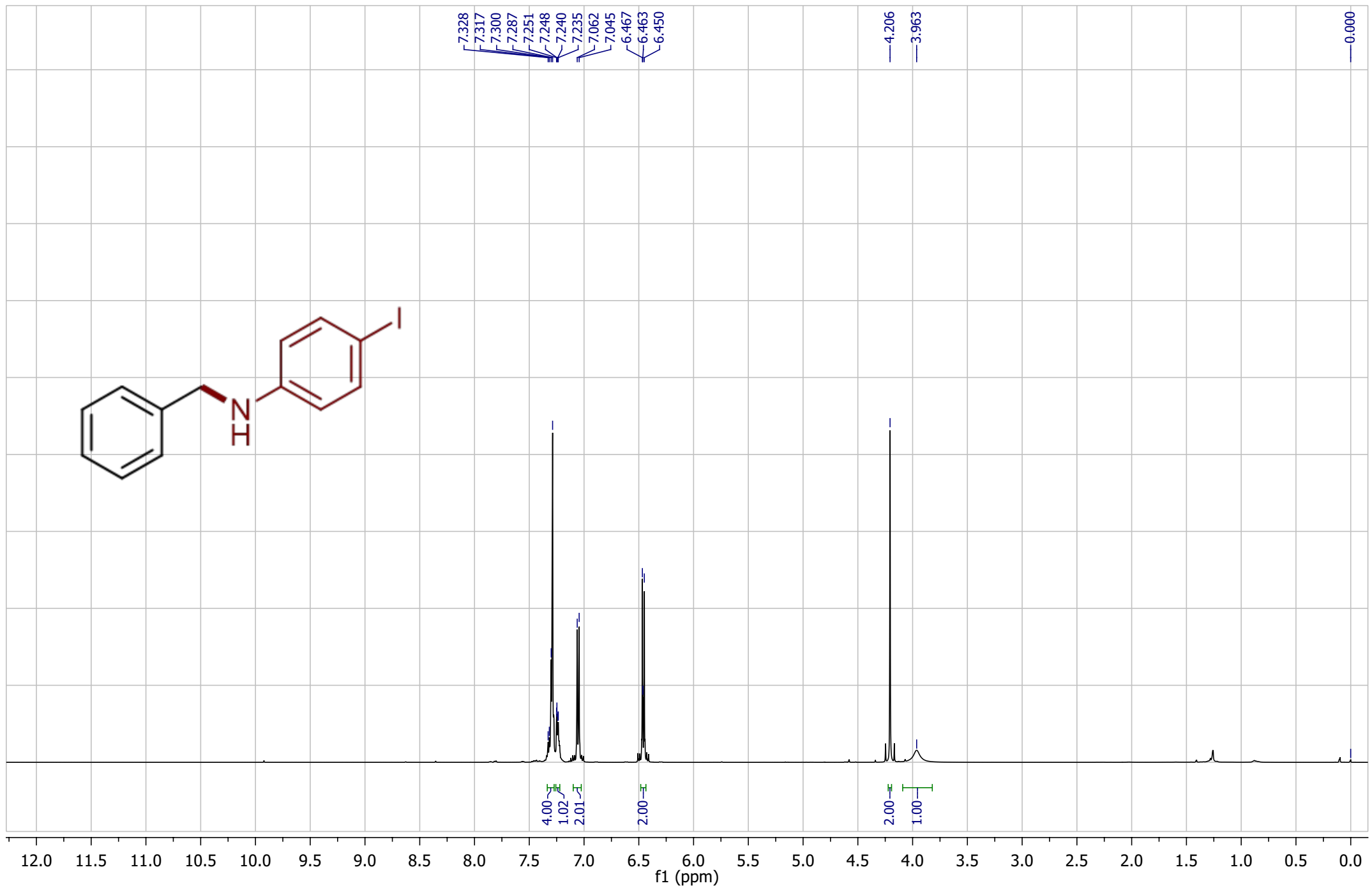
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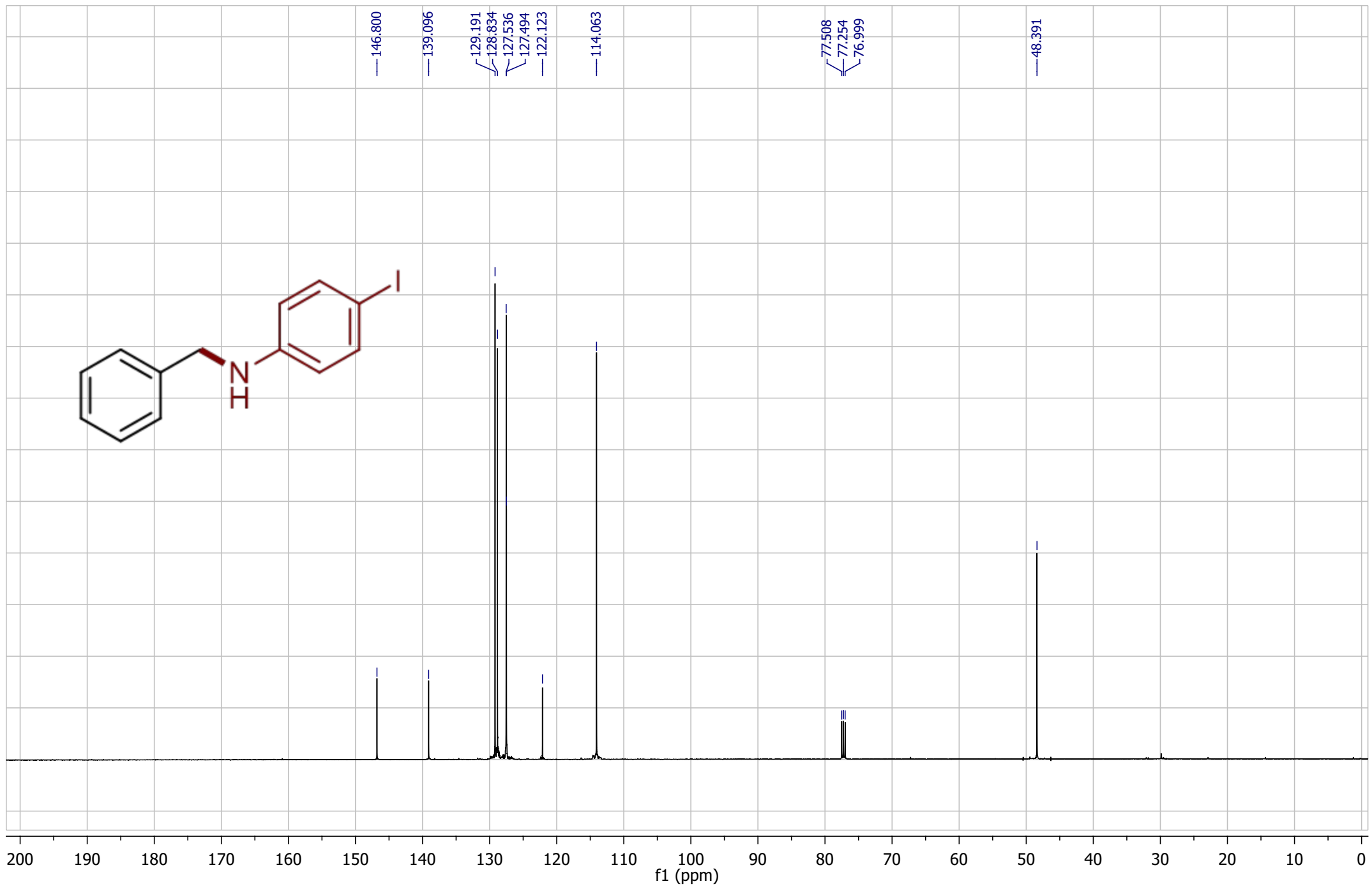
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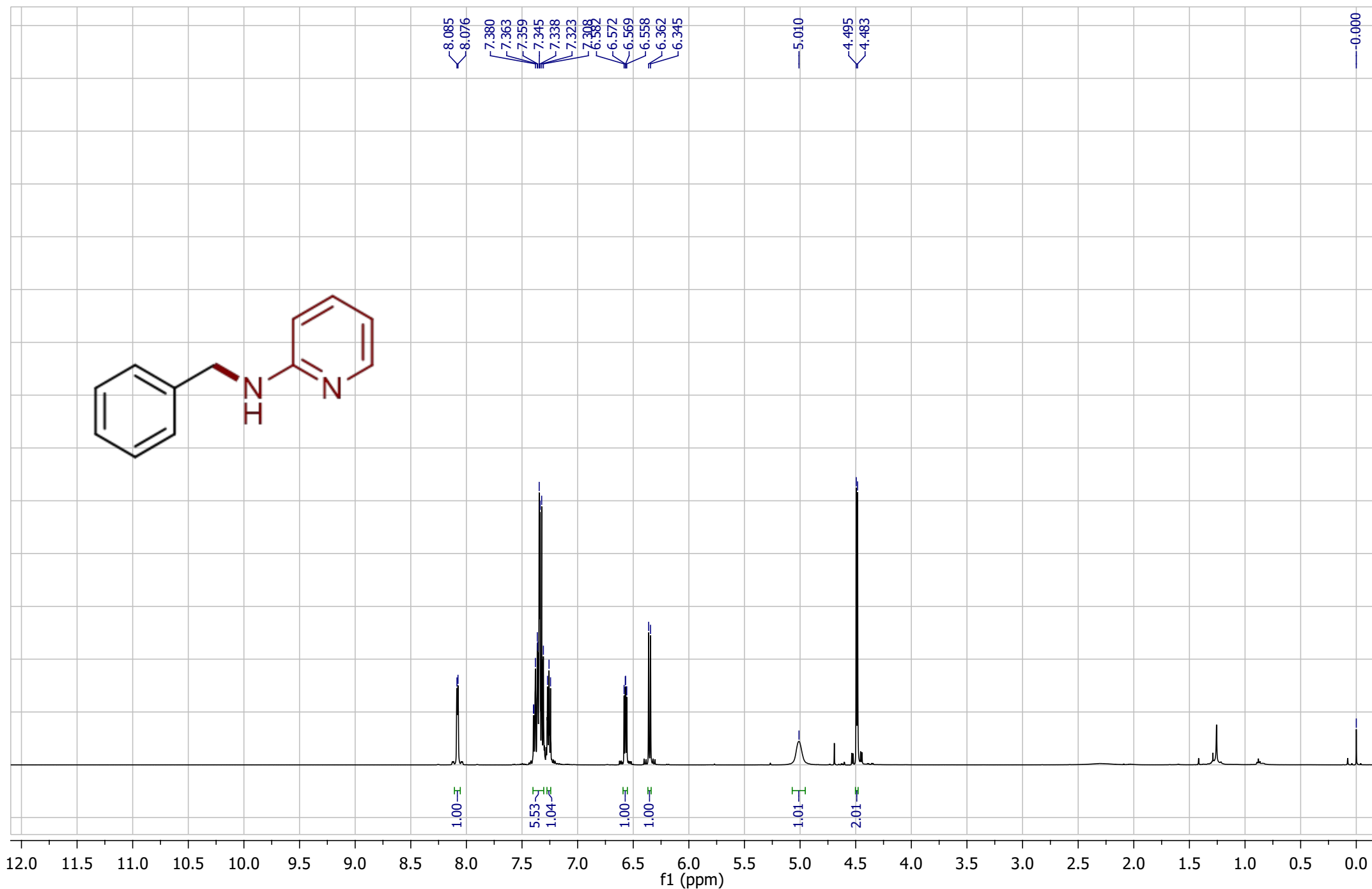
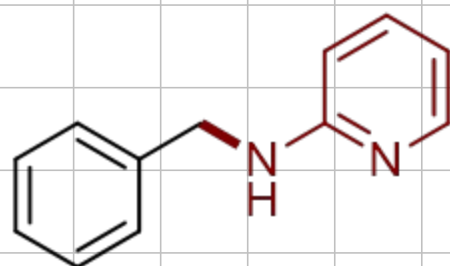
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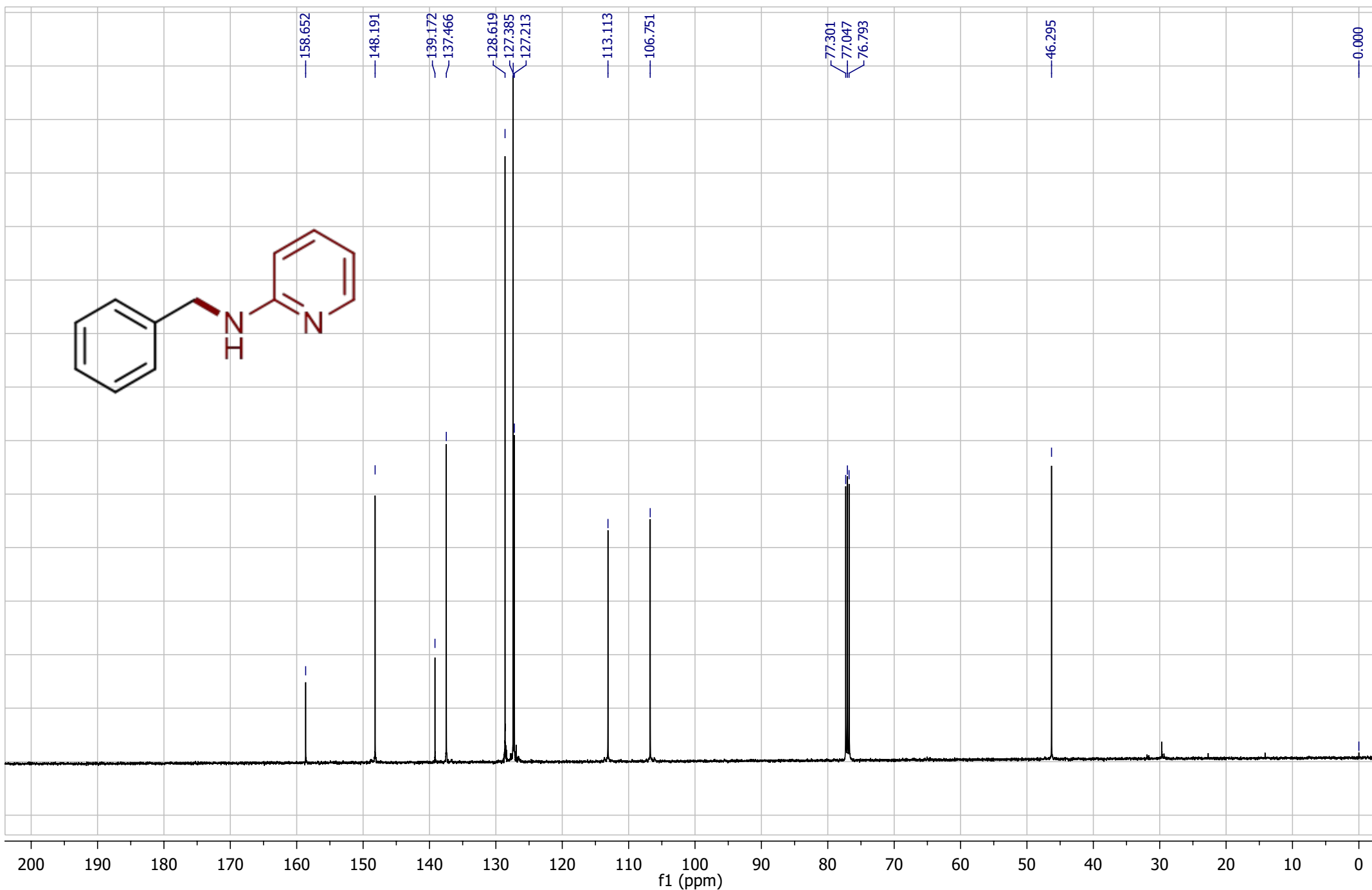
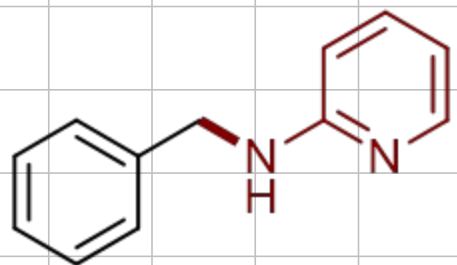
N-benzyl-4-iodoaniline (3I)



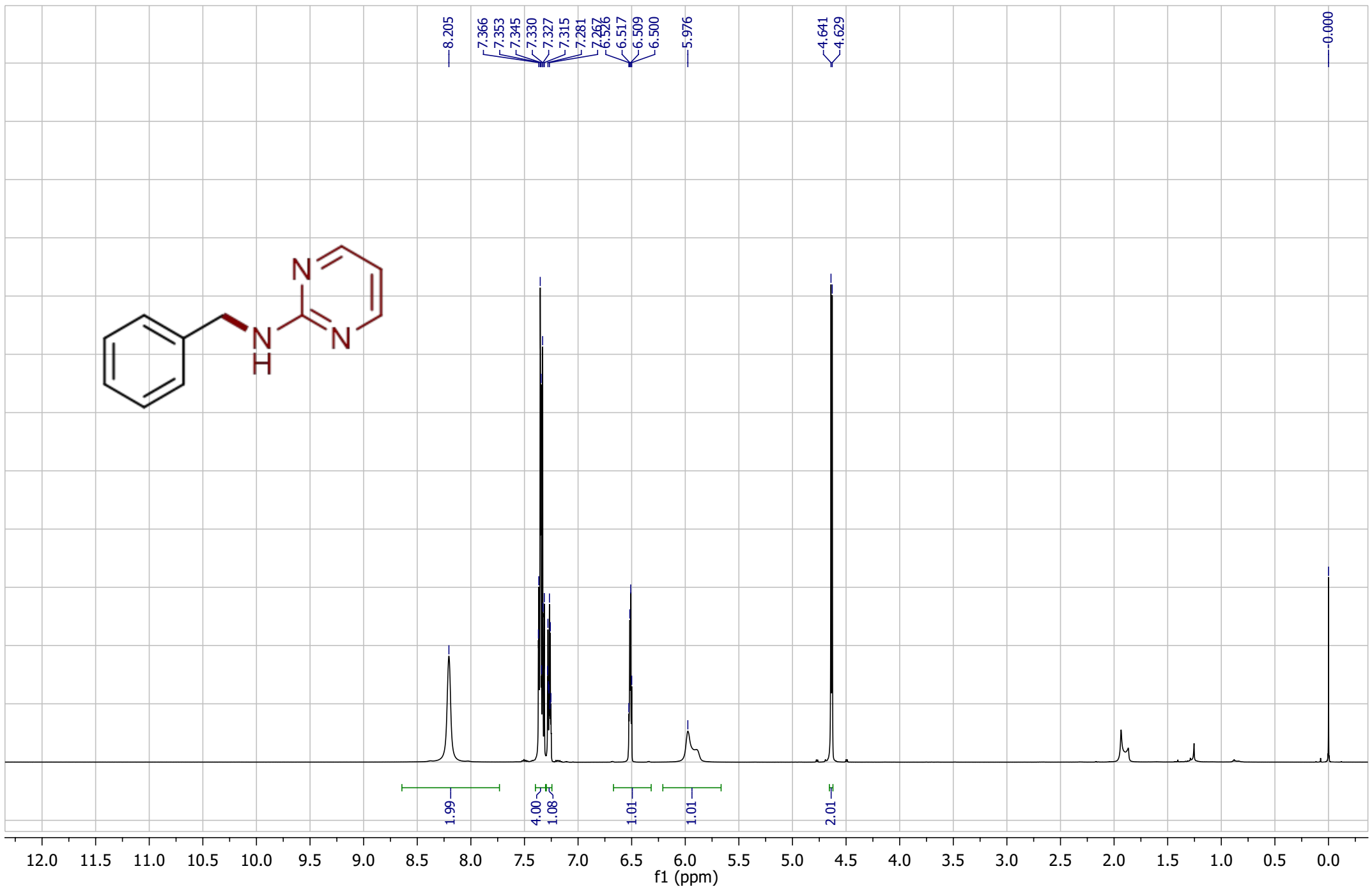
N-benzyl-4-iodoaniline (3I)



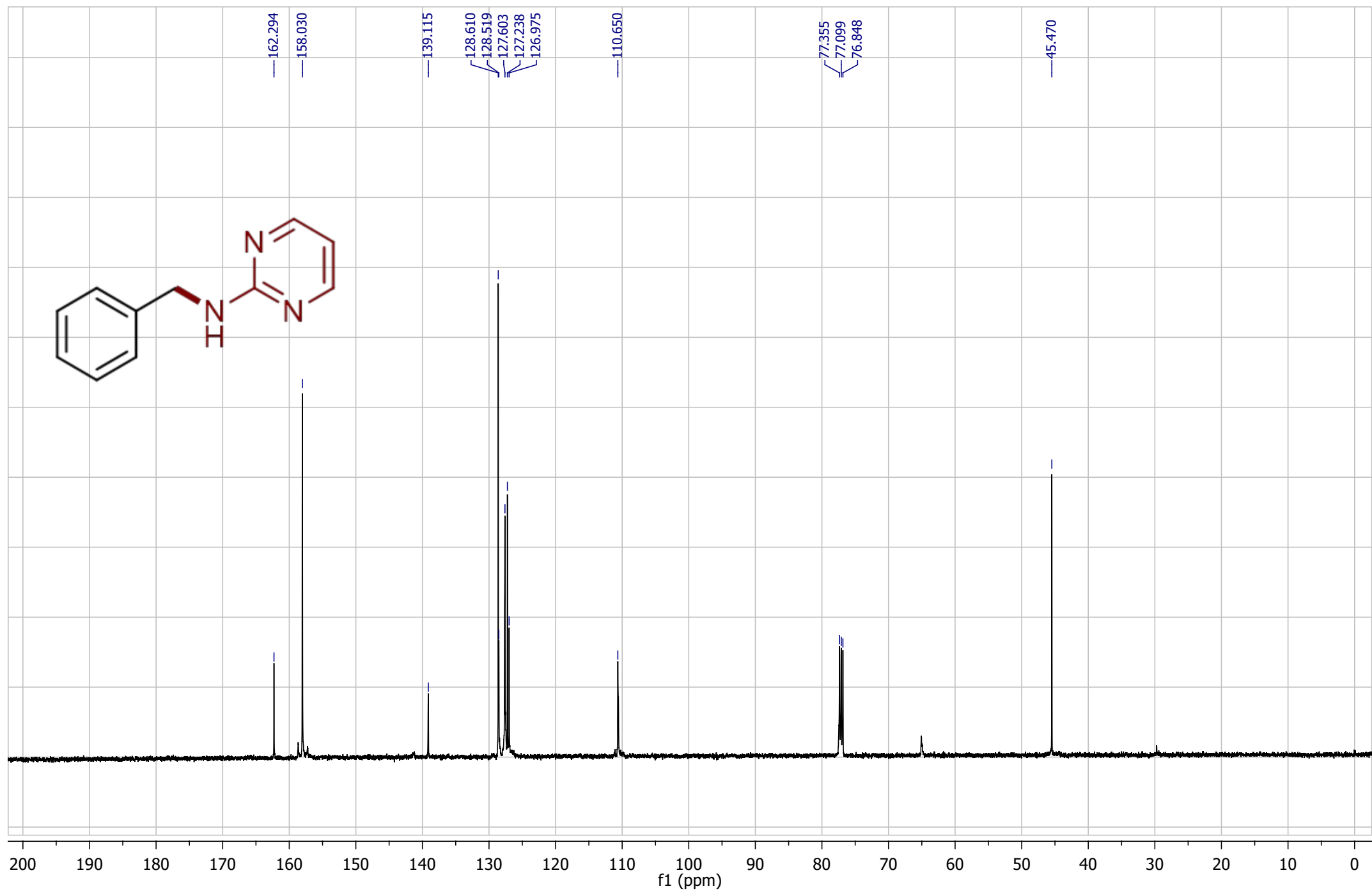
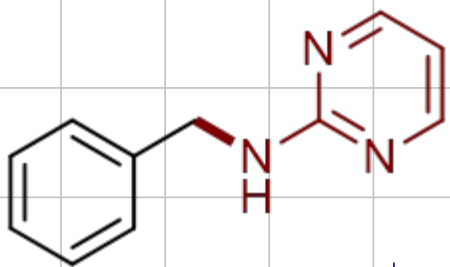
N-benzylpyridin-2-amine (3m)



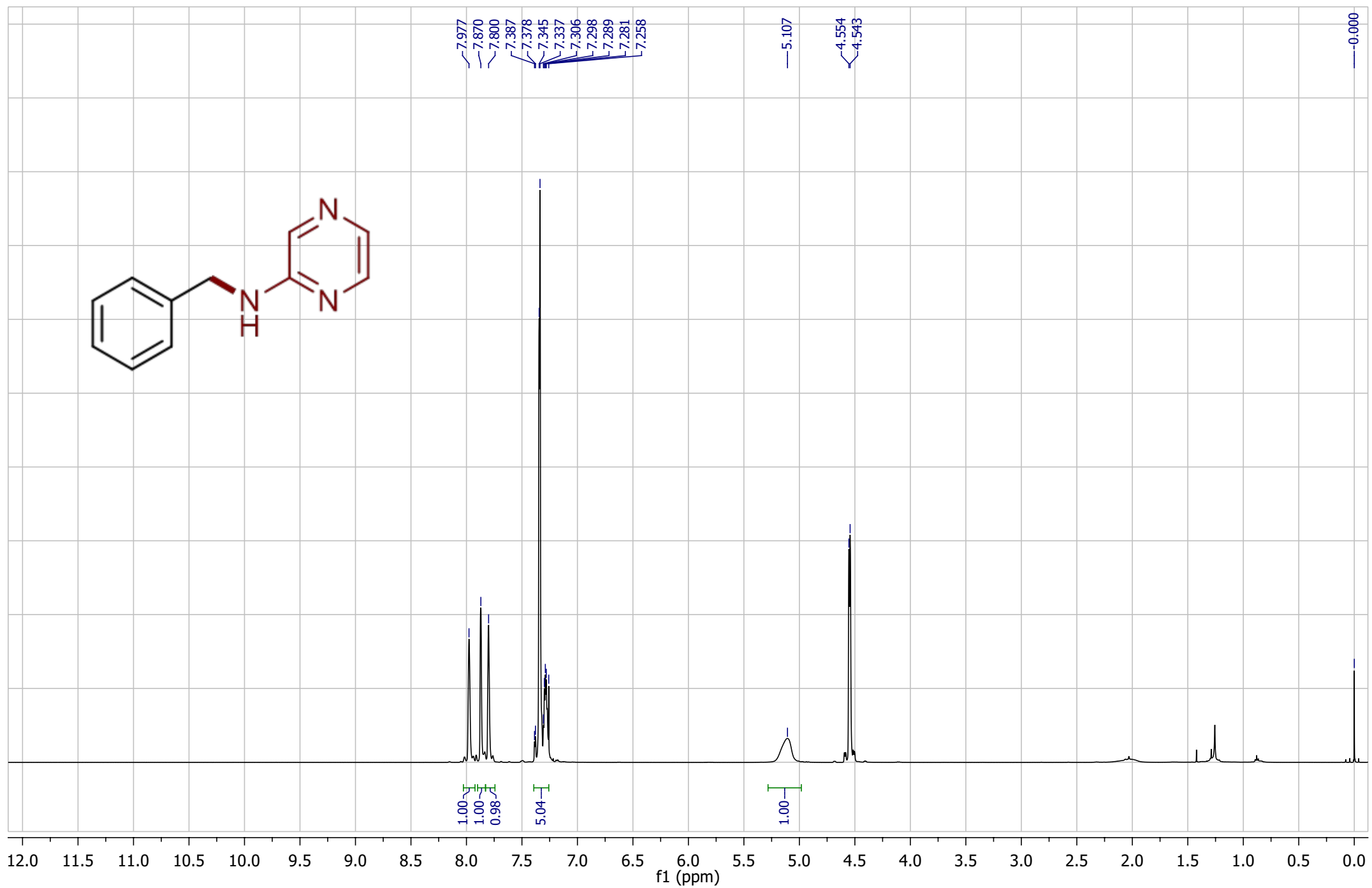
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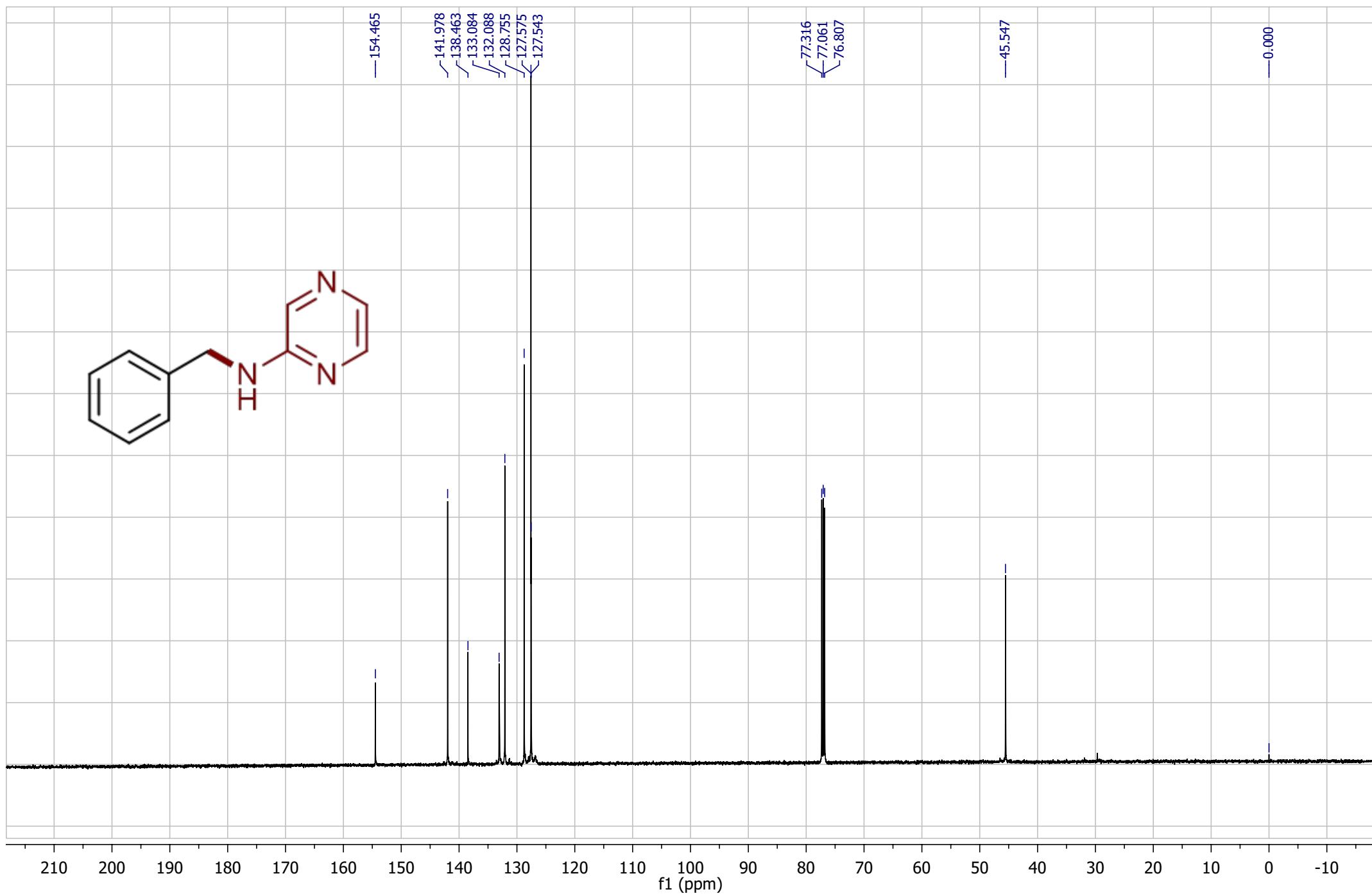
N-benzylpyrimidin-2-amine (3n)



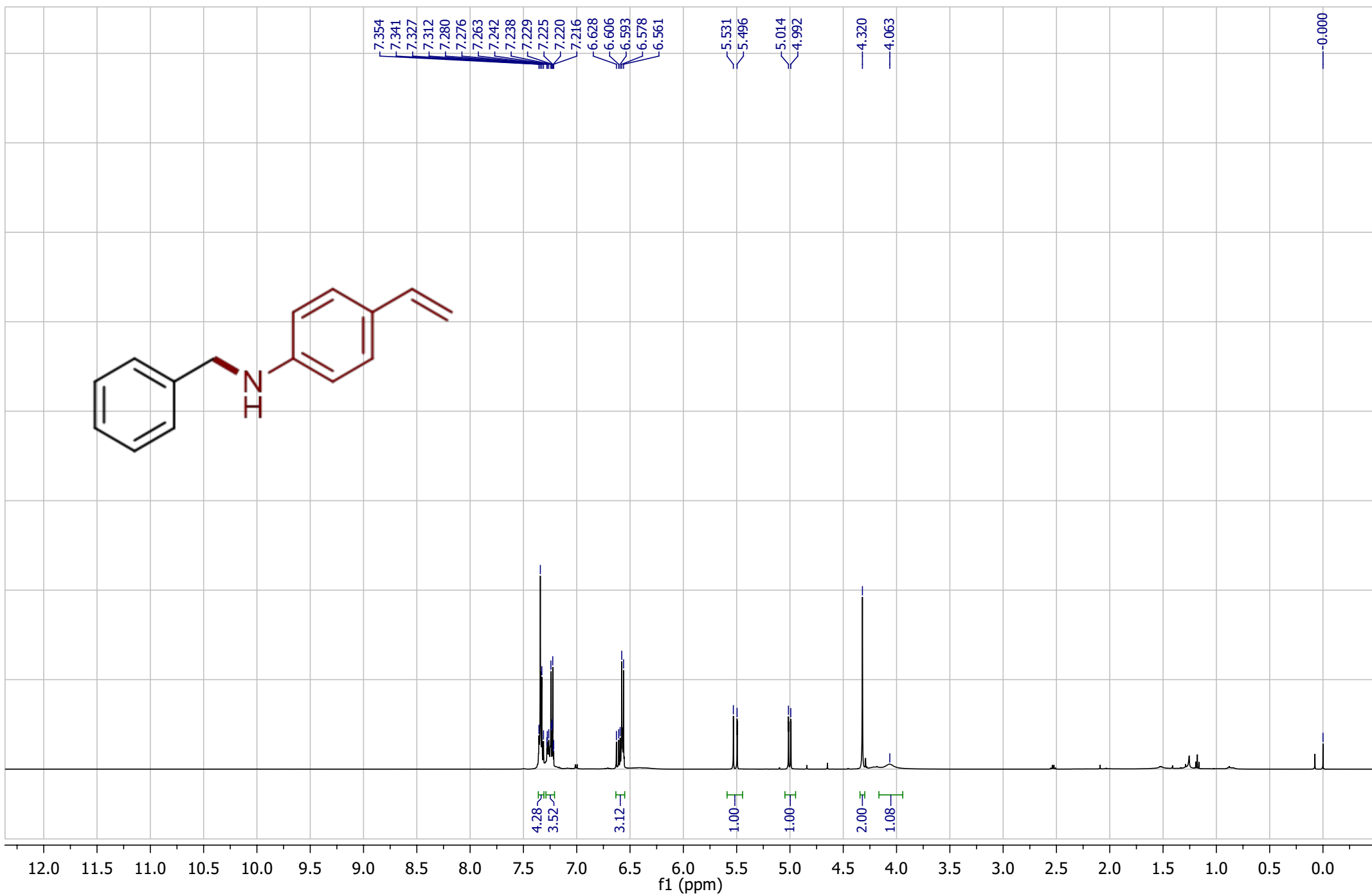
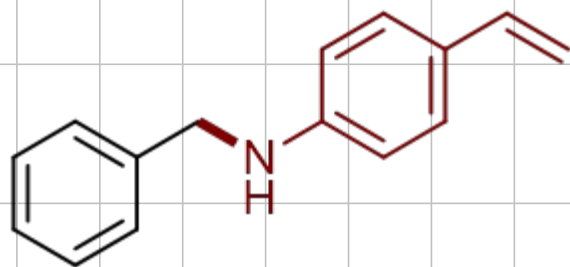
N-benzylpyrimidin-2-amine (3n)



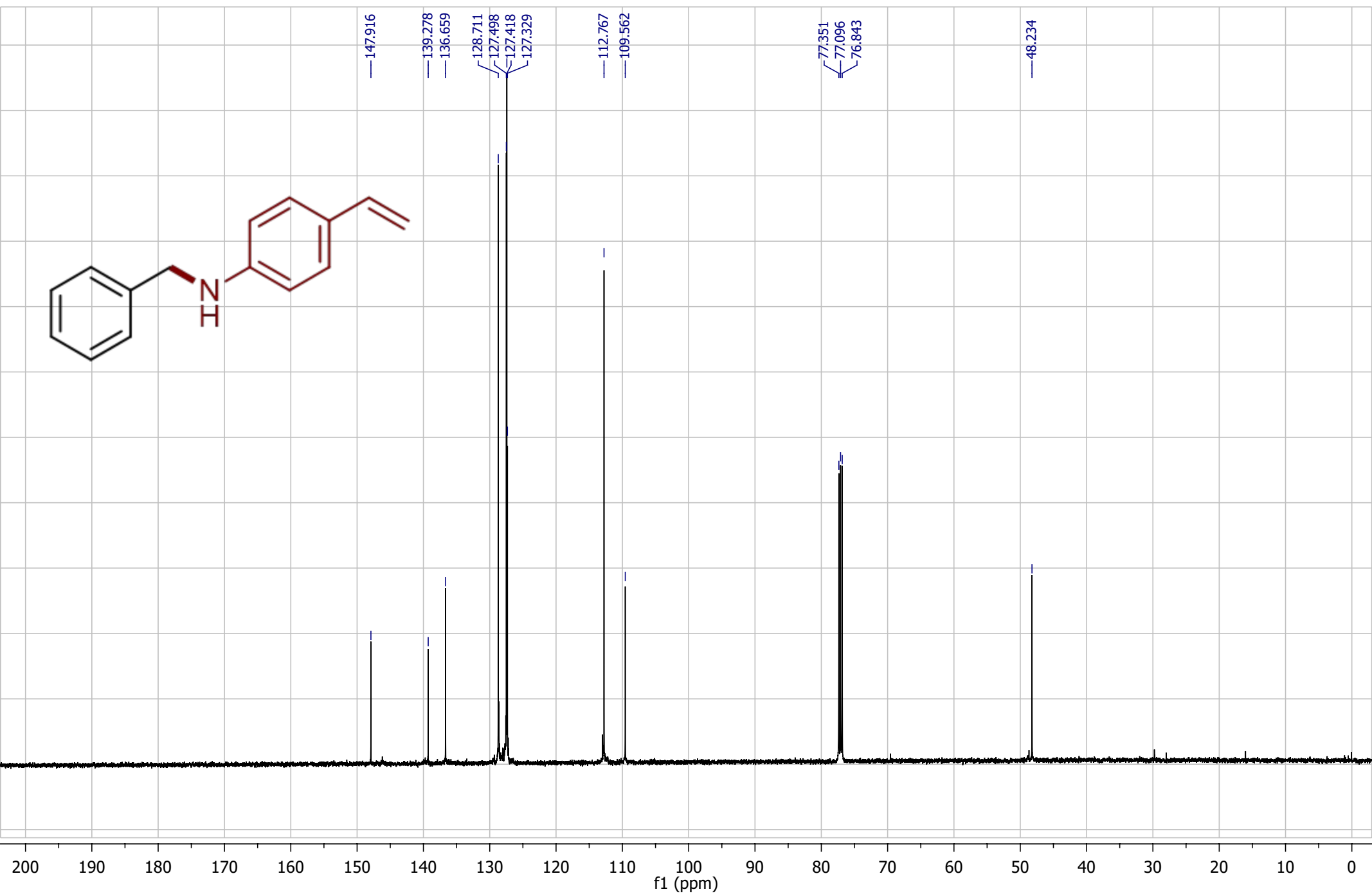
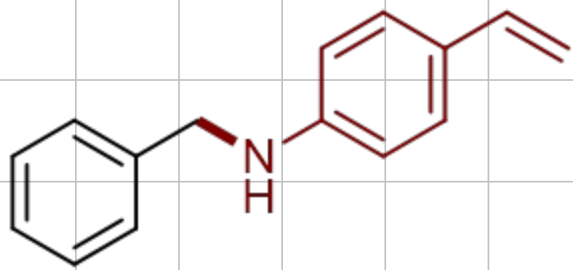
N-benzylpyrazin-2-amine (3o)



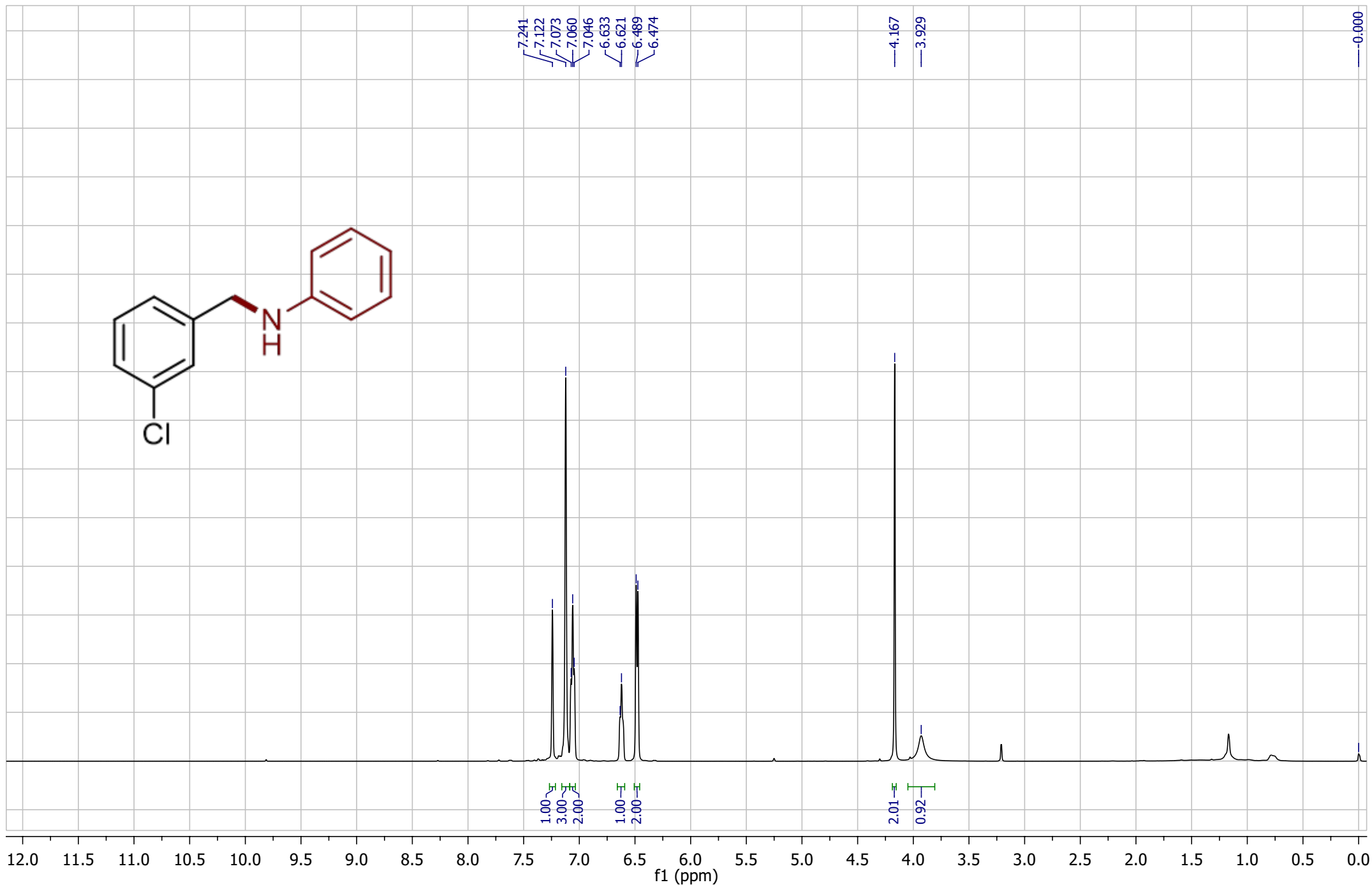
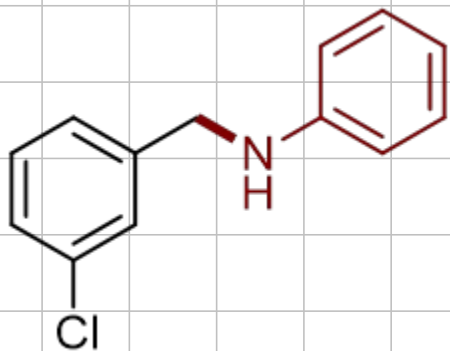
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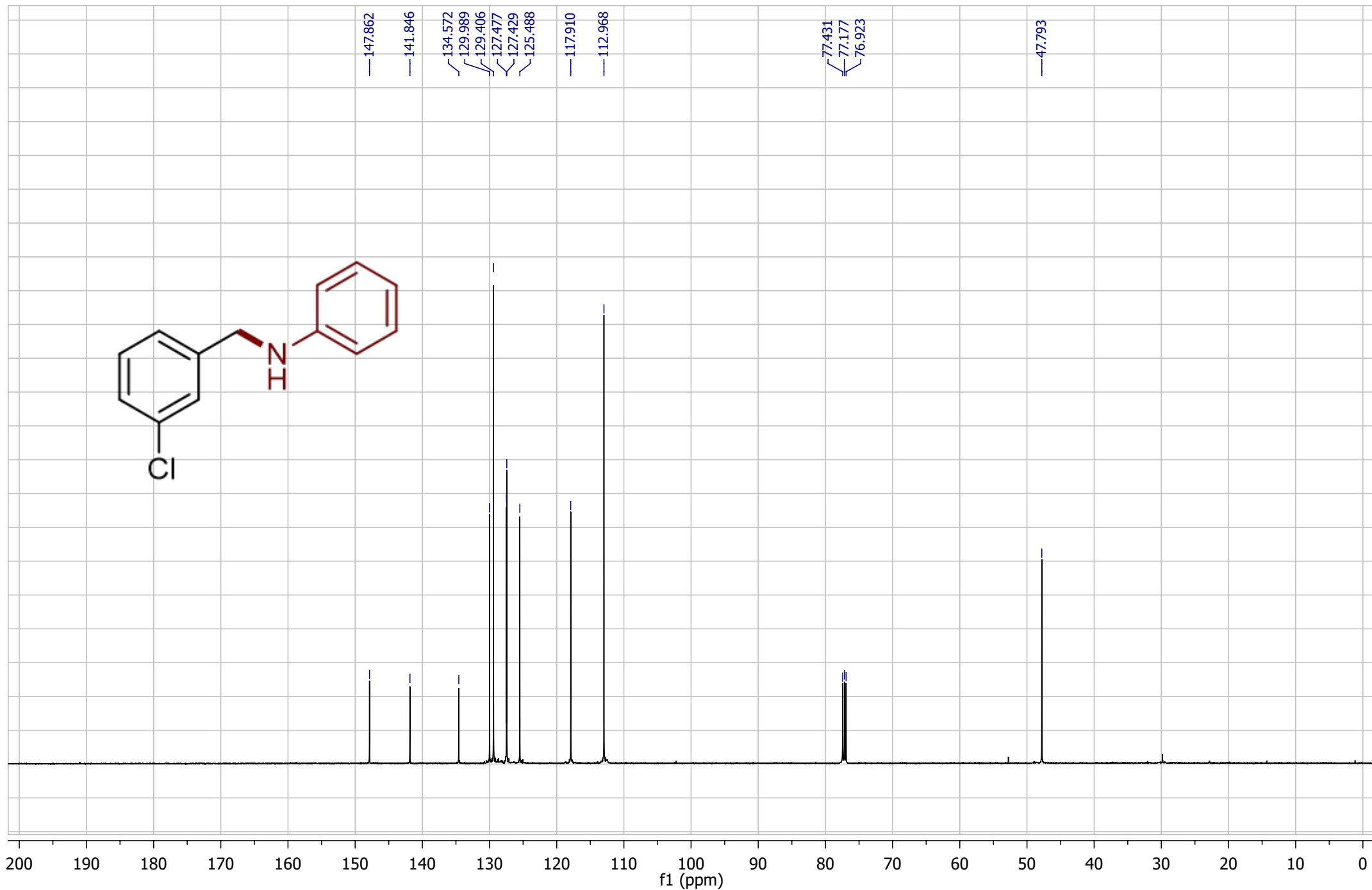
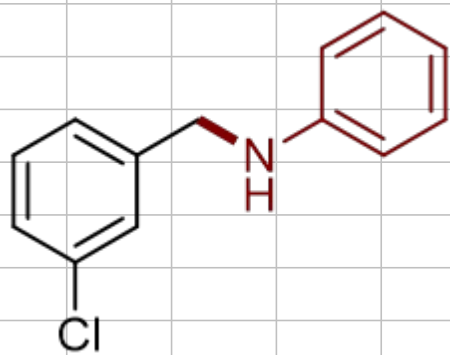
N-benzyl-4-vinylianiline (3p)



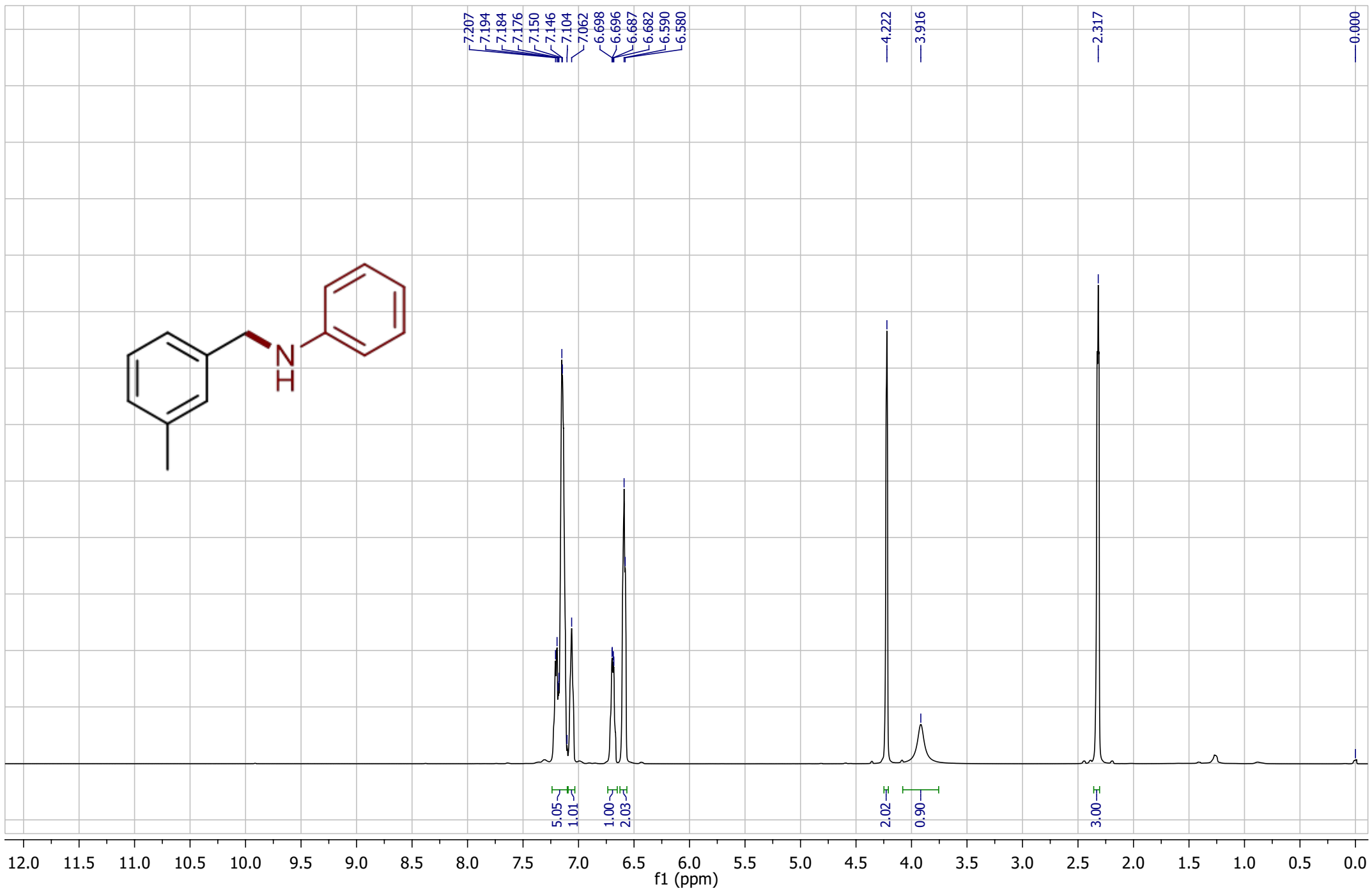
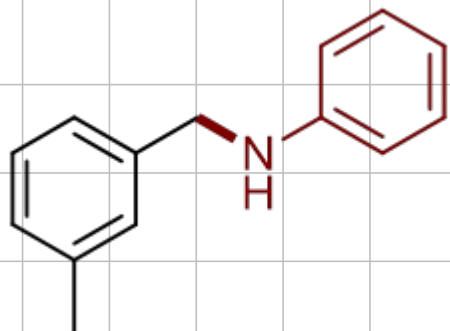
N-benzyl-4-vinylianiline (3p)



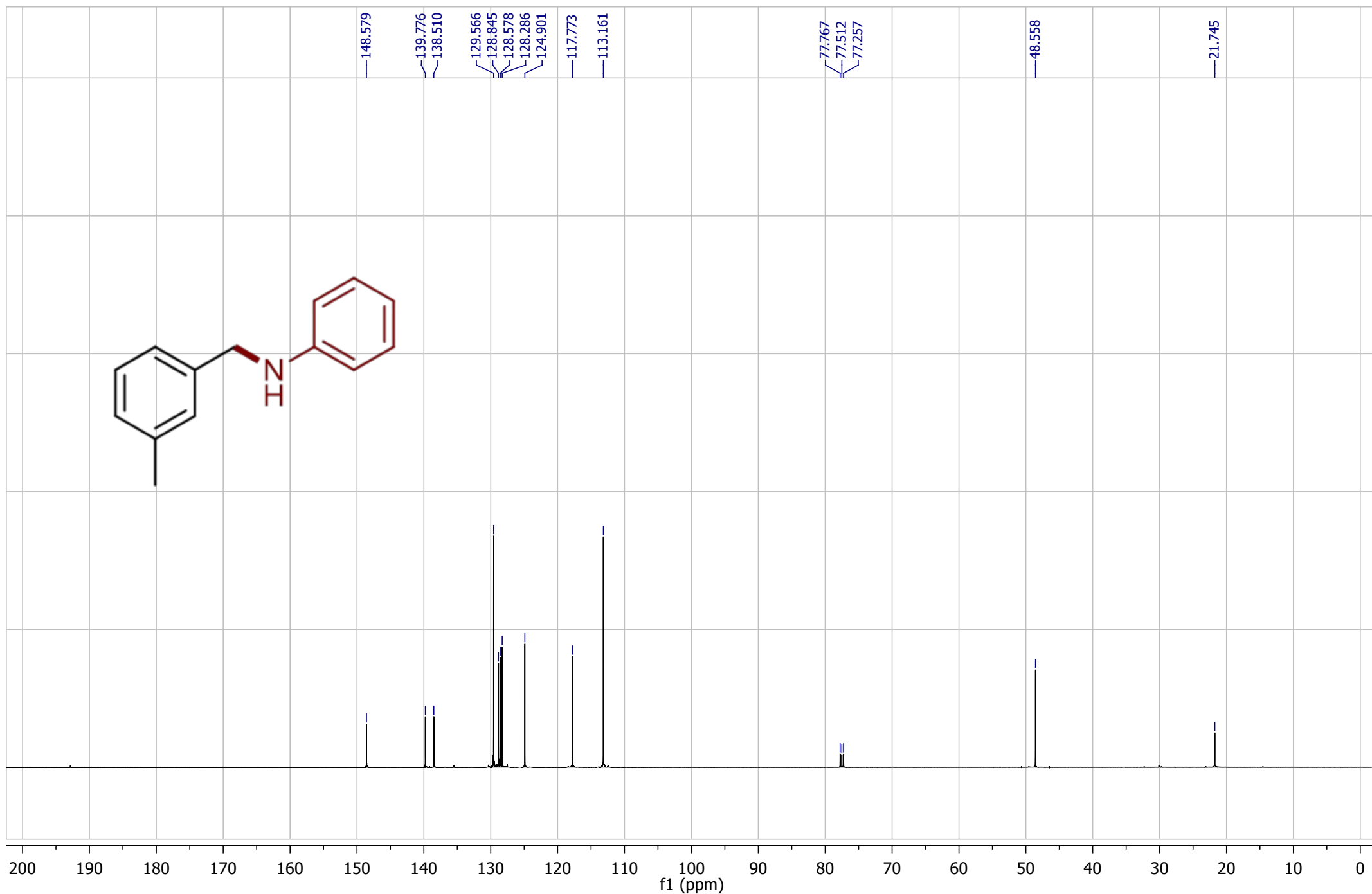
N-(3-chlorobenzyl)aniline (3q)



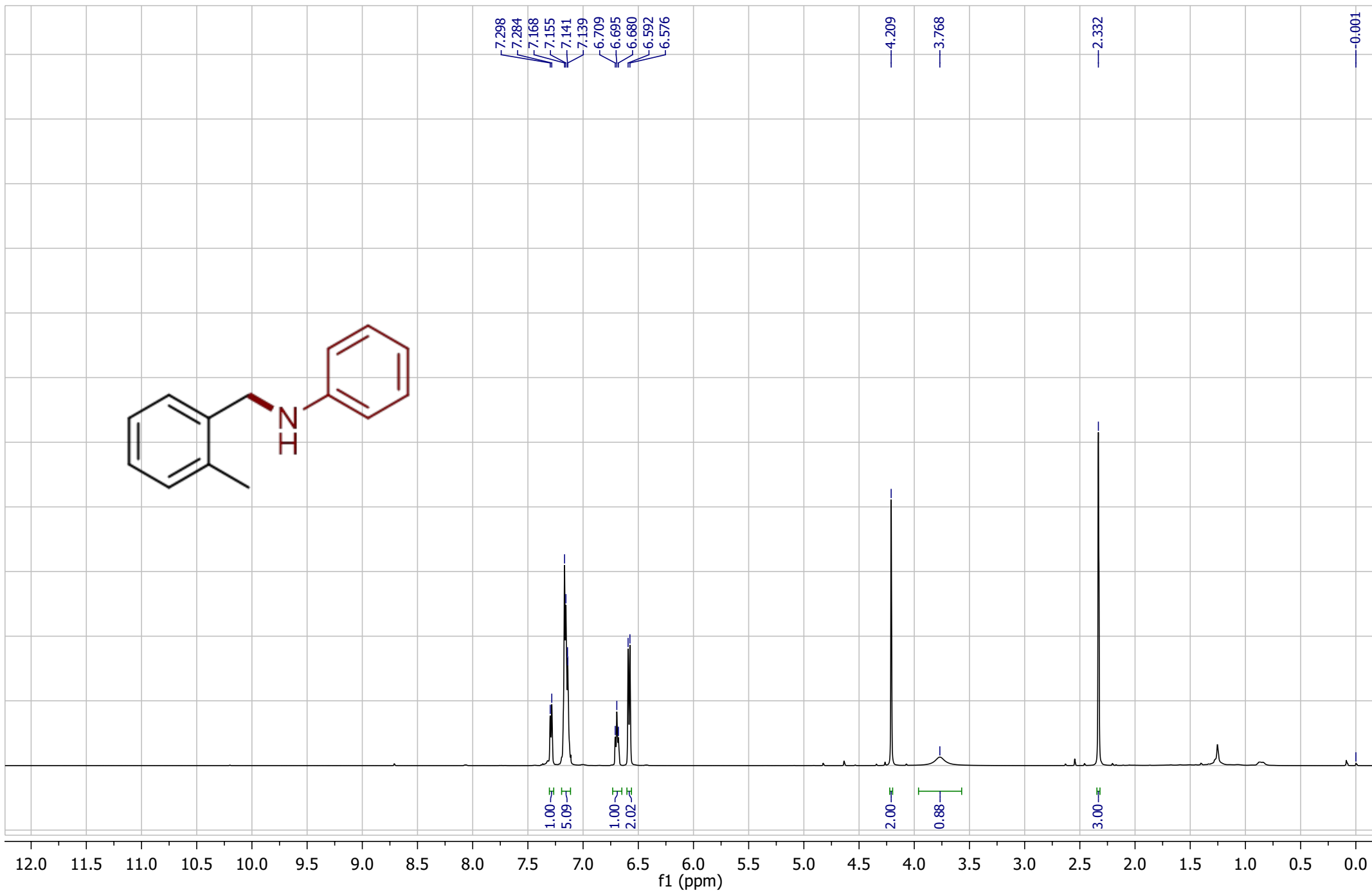
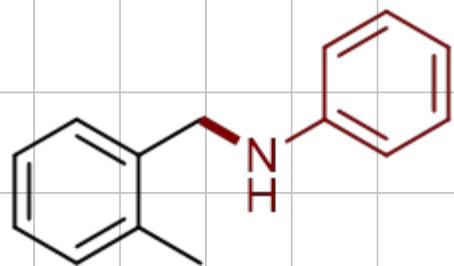
N-(3-chlorobenzyl)aniline (3q)



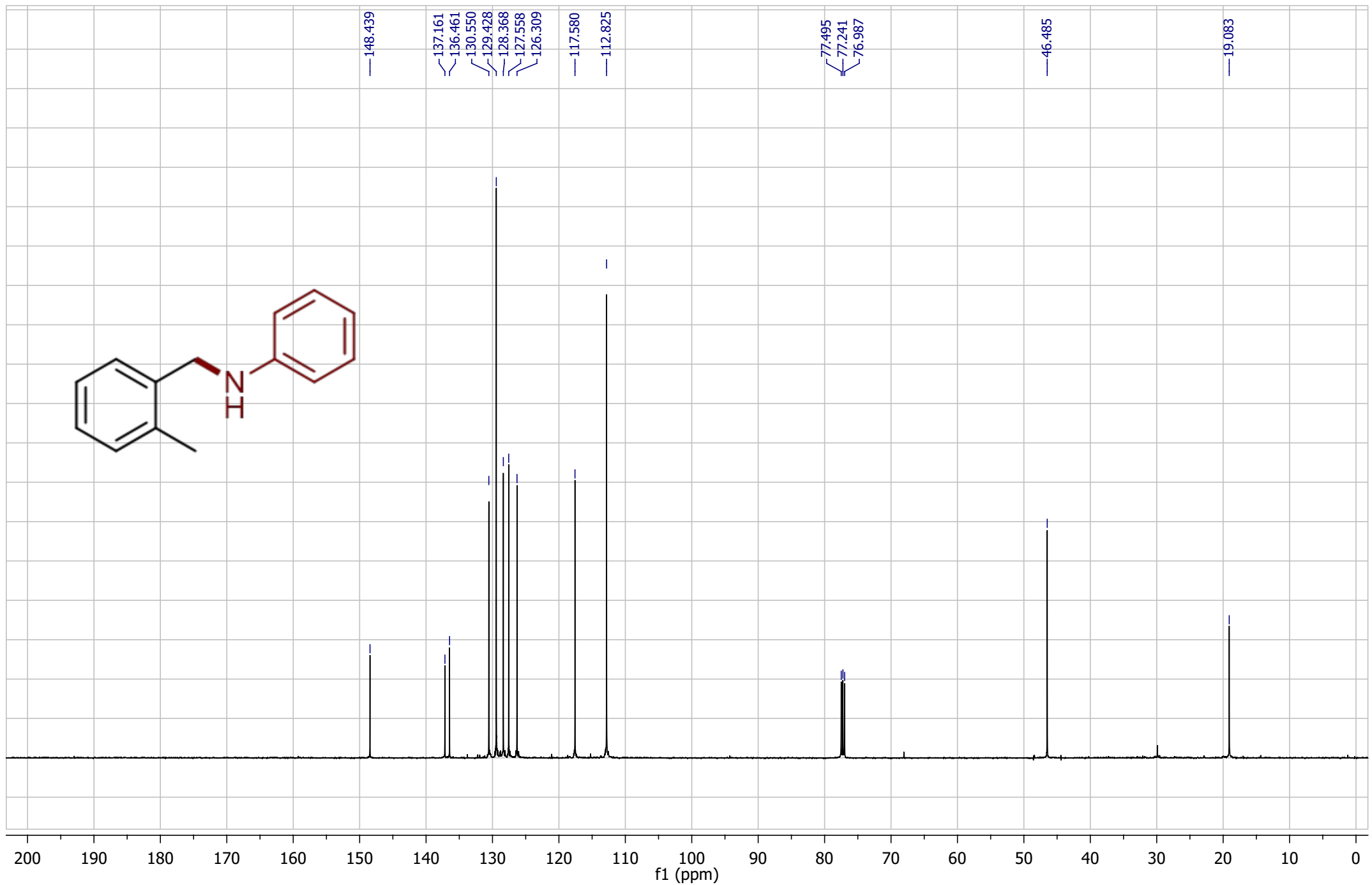
N-(3-methylbenzyl)aniline (3r)



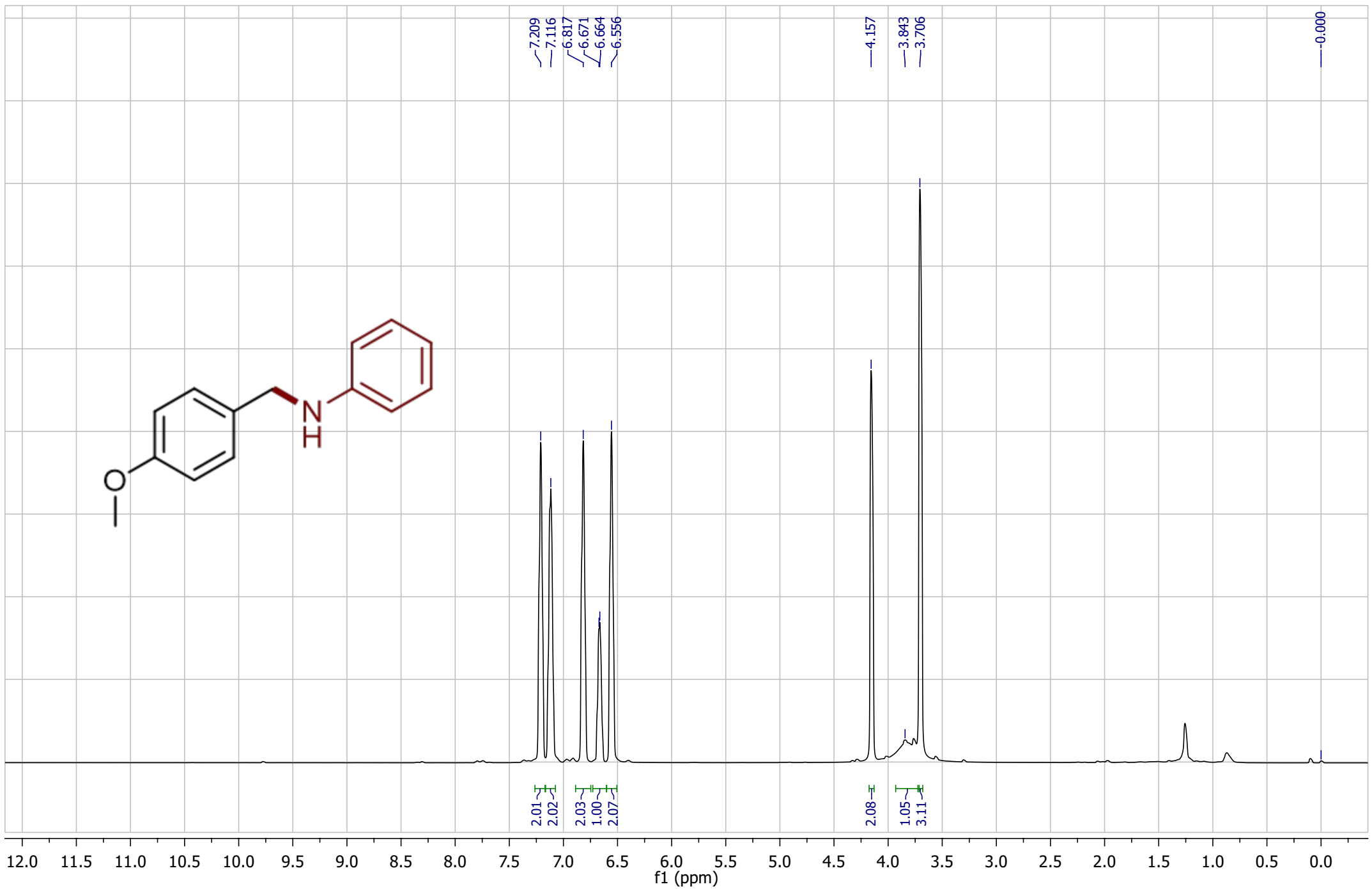
N-(3-methylbenzyl)aniline (3r)



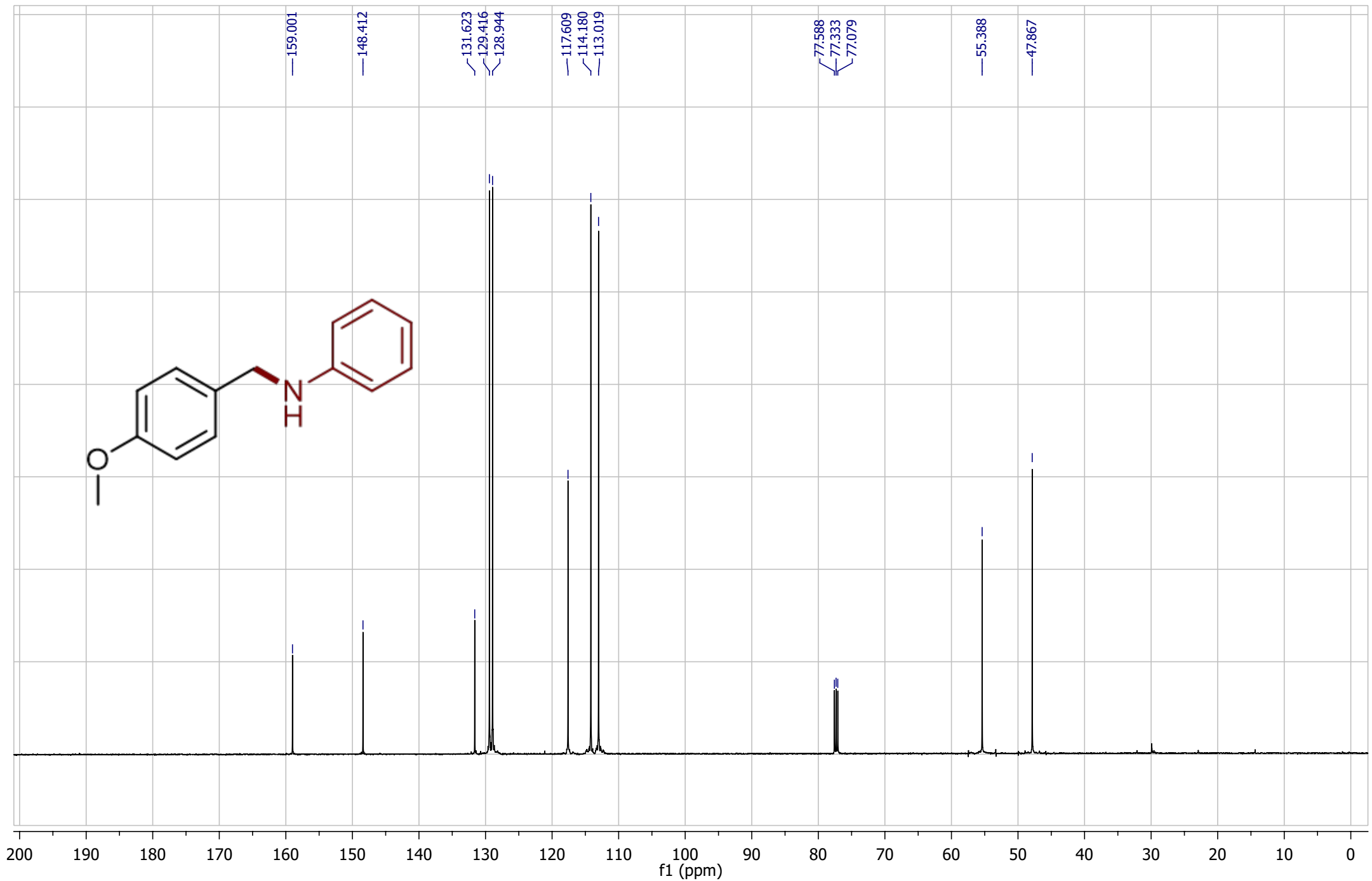
N-(2-methylbenzyl)aniline (3s)



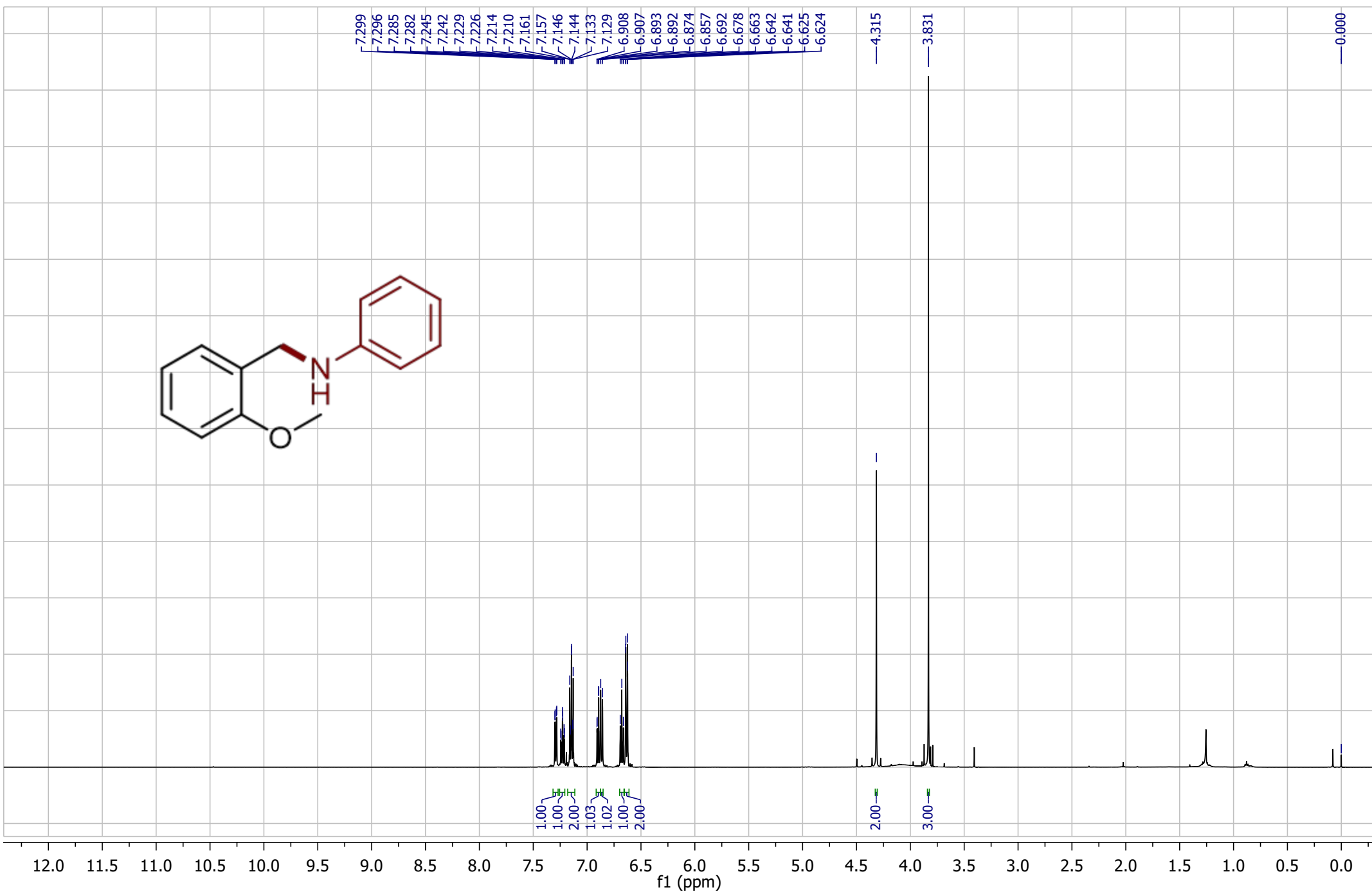
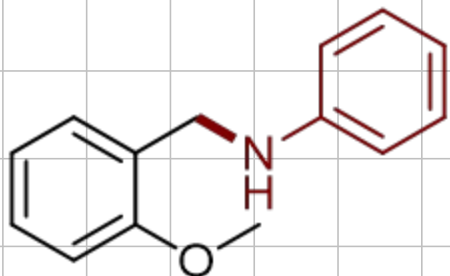
N-(2-methylbenzyl)aniline (3s)



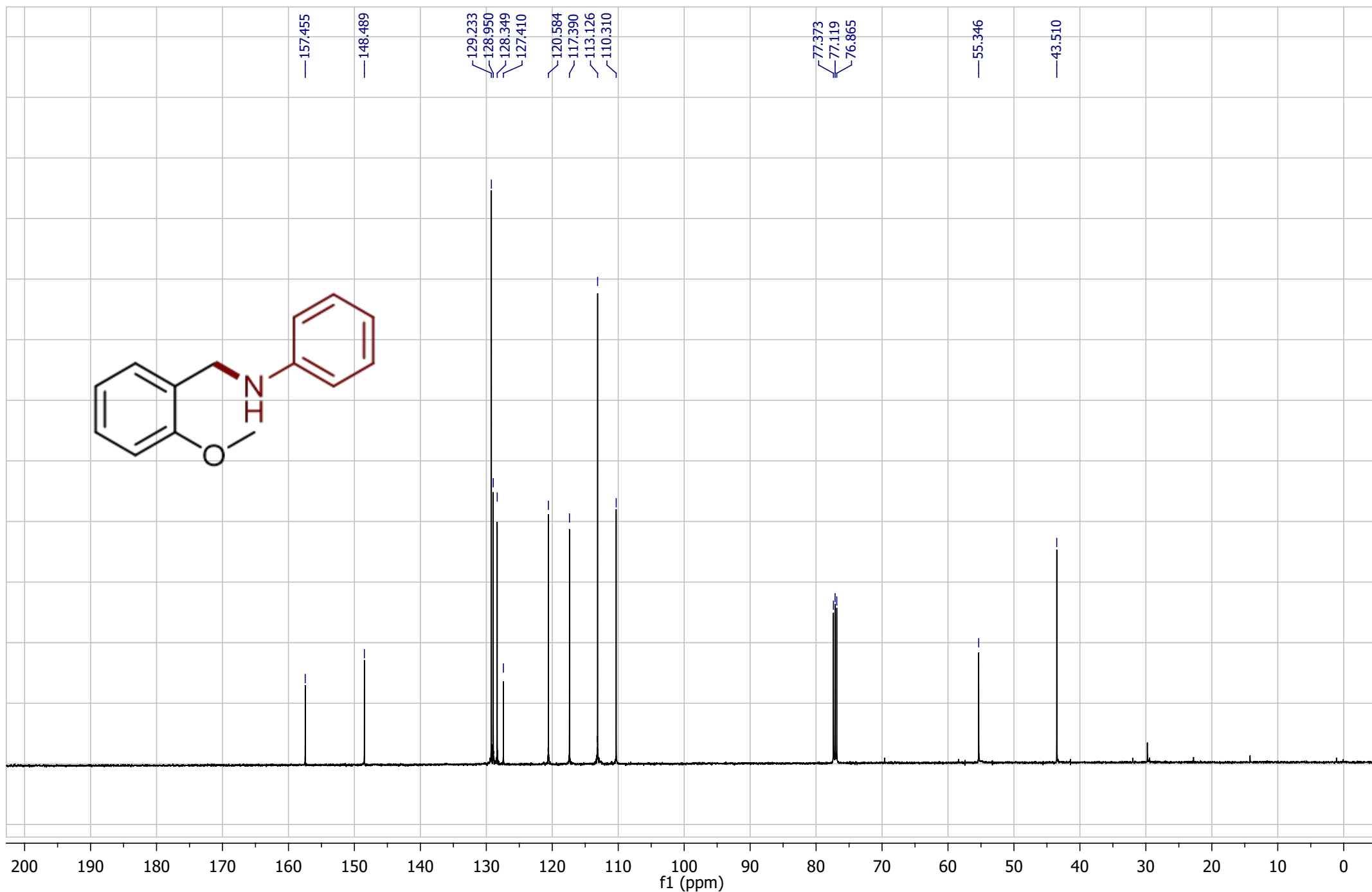
N-(4-methoxybenzyl)aniline (3t)



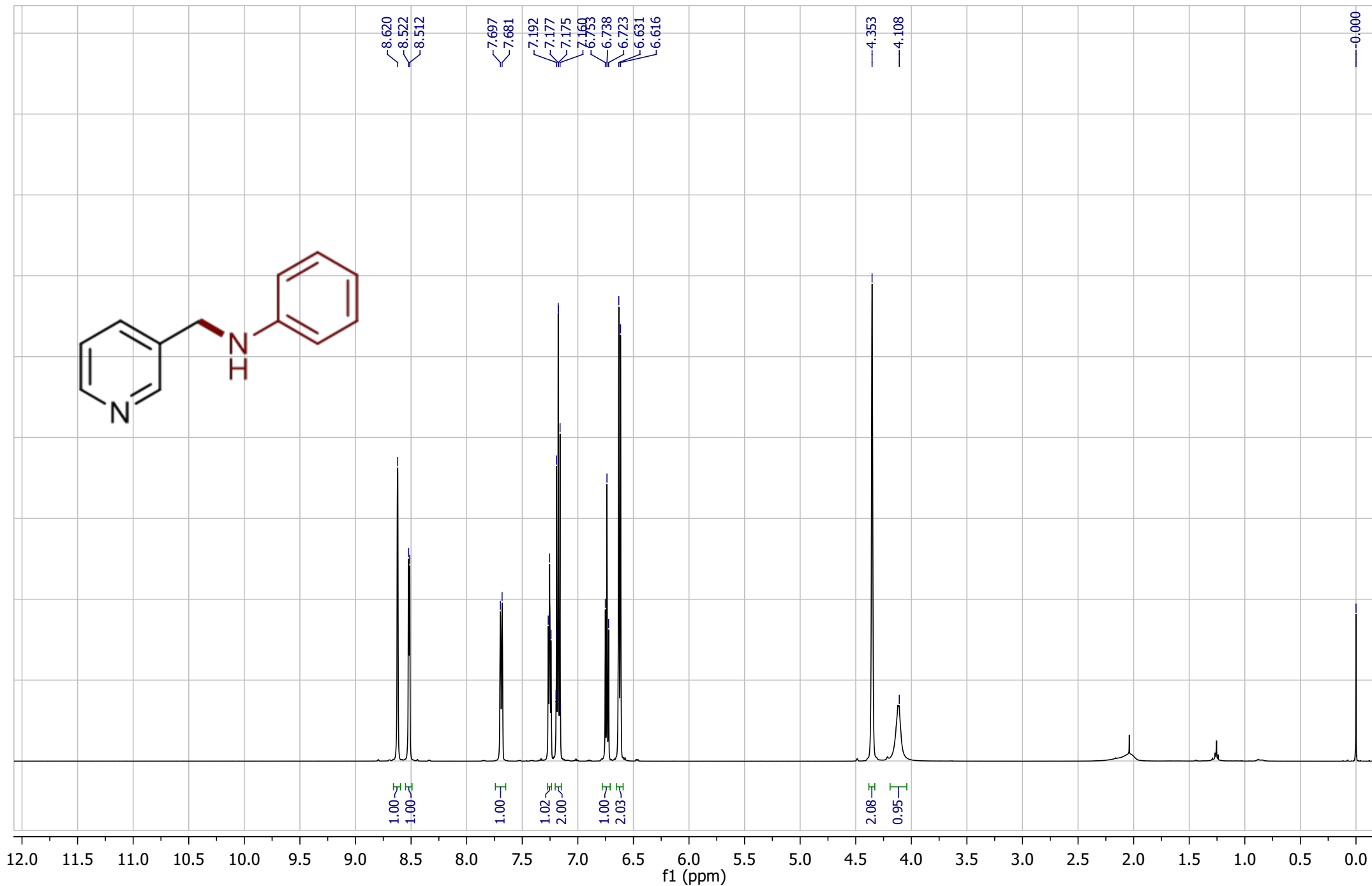
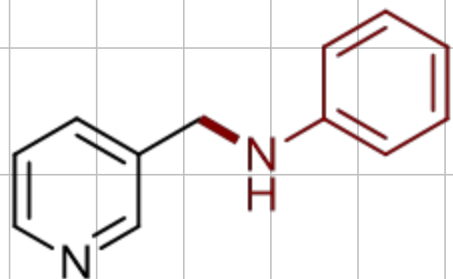
N-(4-methoxybenzyl)aniline (3t)



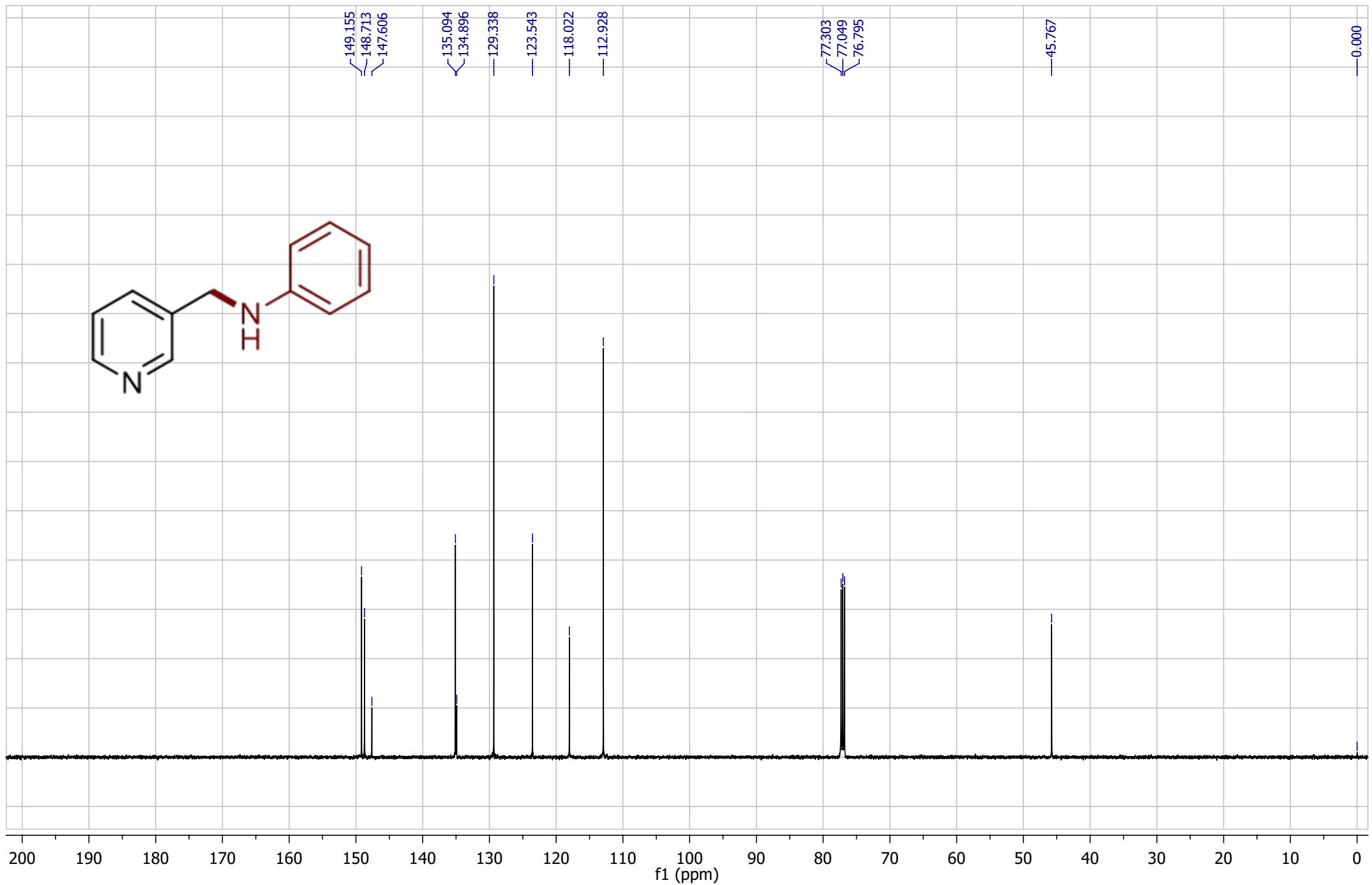
N-(2-methoxybenzyl)aniline (3u)



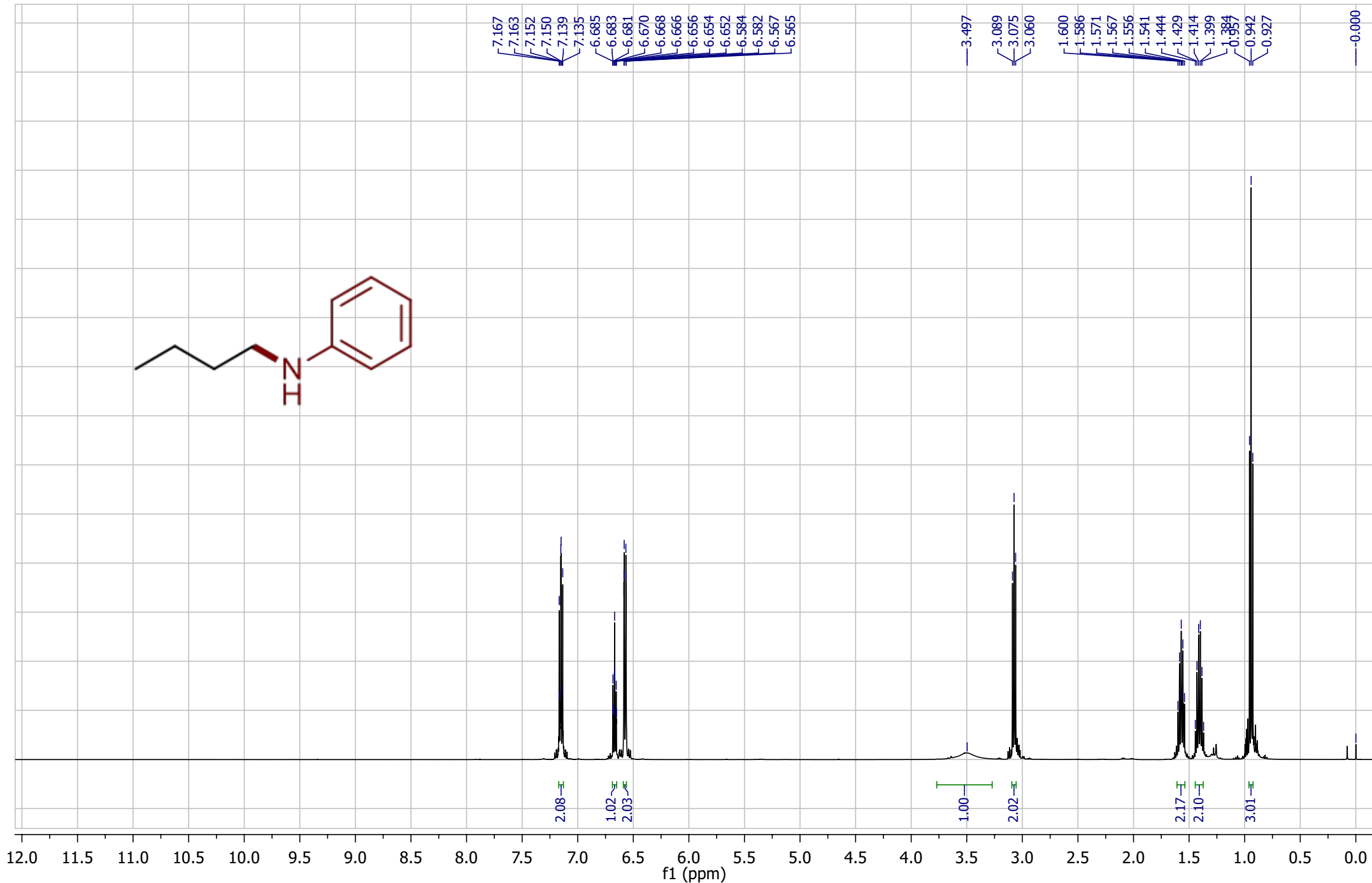
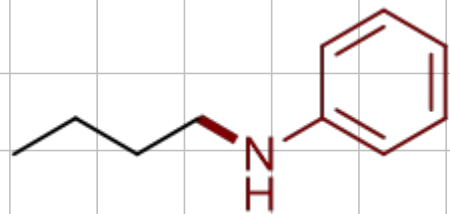
N-(2-methoxybenzyl)aniline (3u)



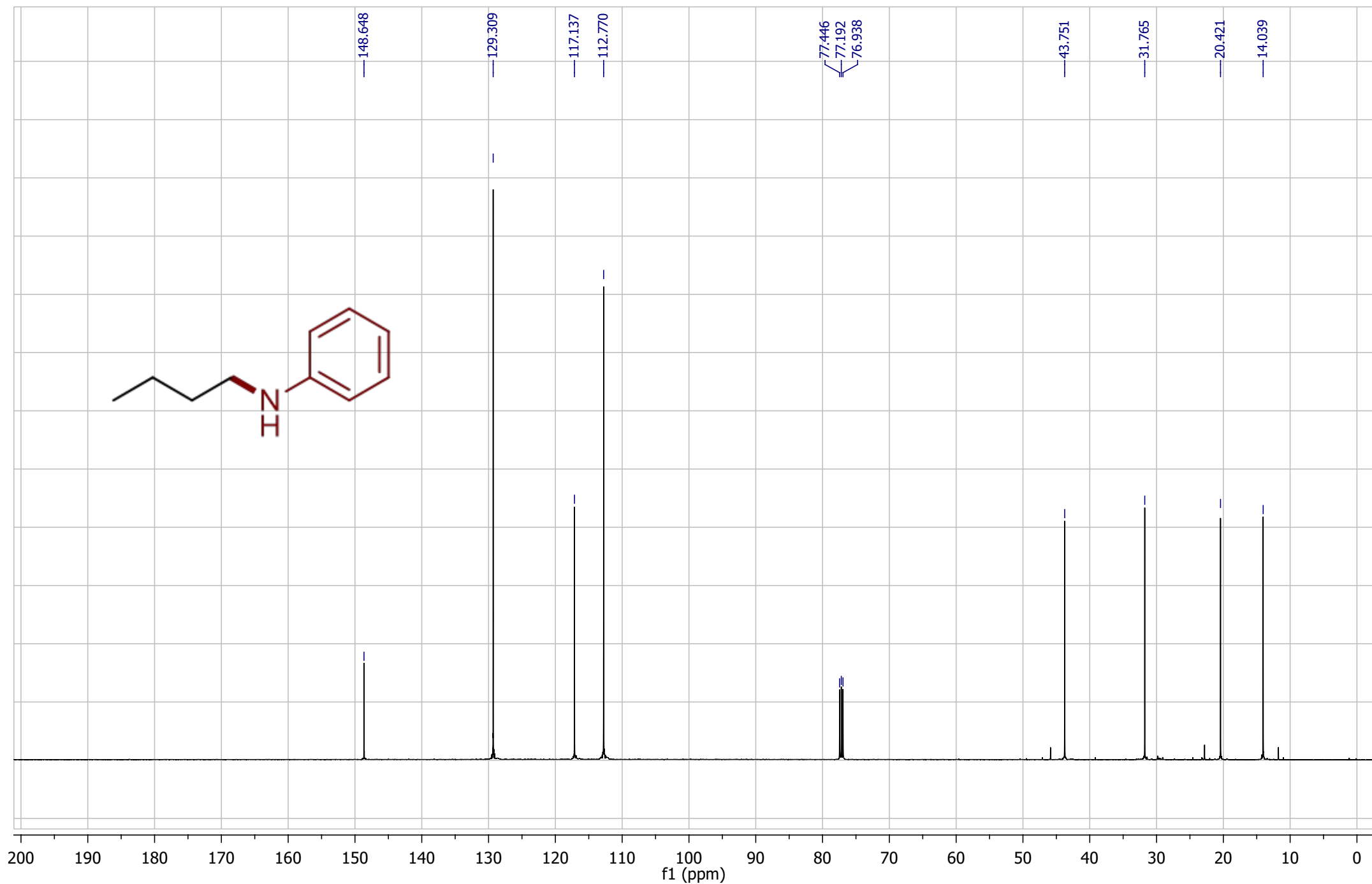
N-(pyridin-3-ylmethyl)aniline (3v)



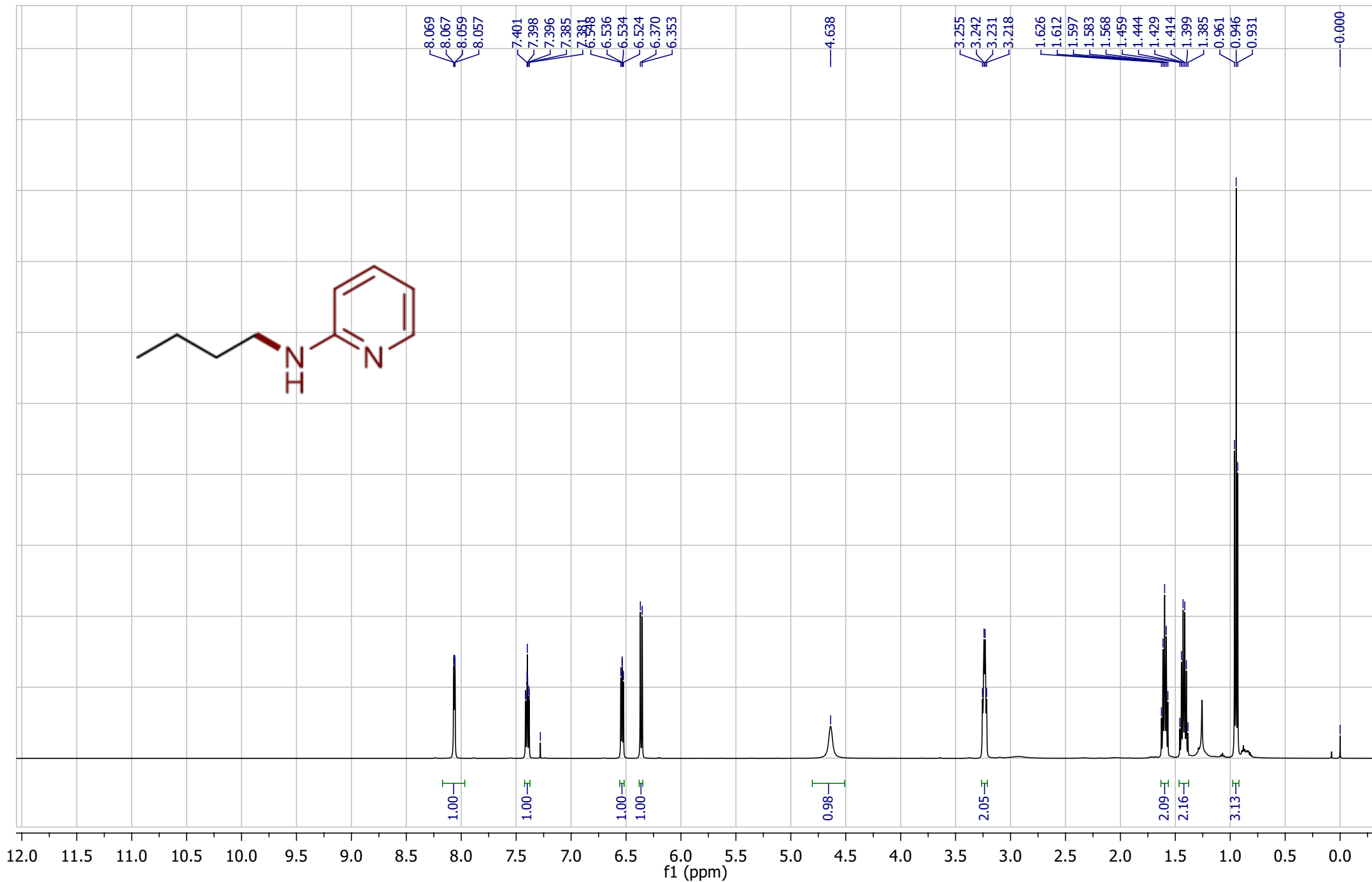
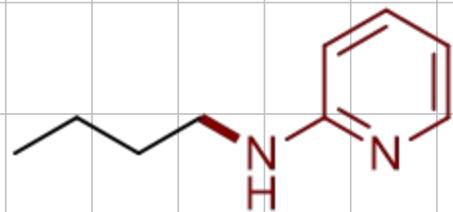
N-(pyridin-3-ylmethyl)aniline (3v)



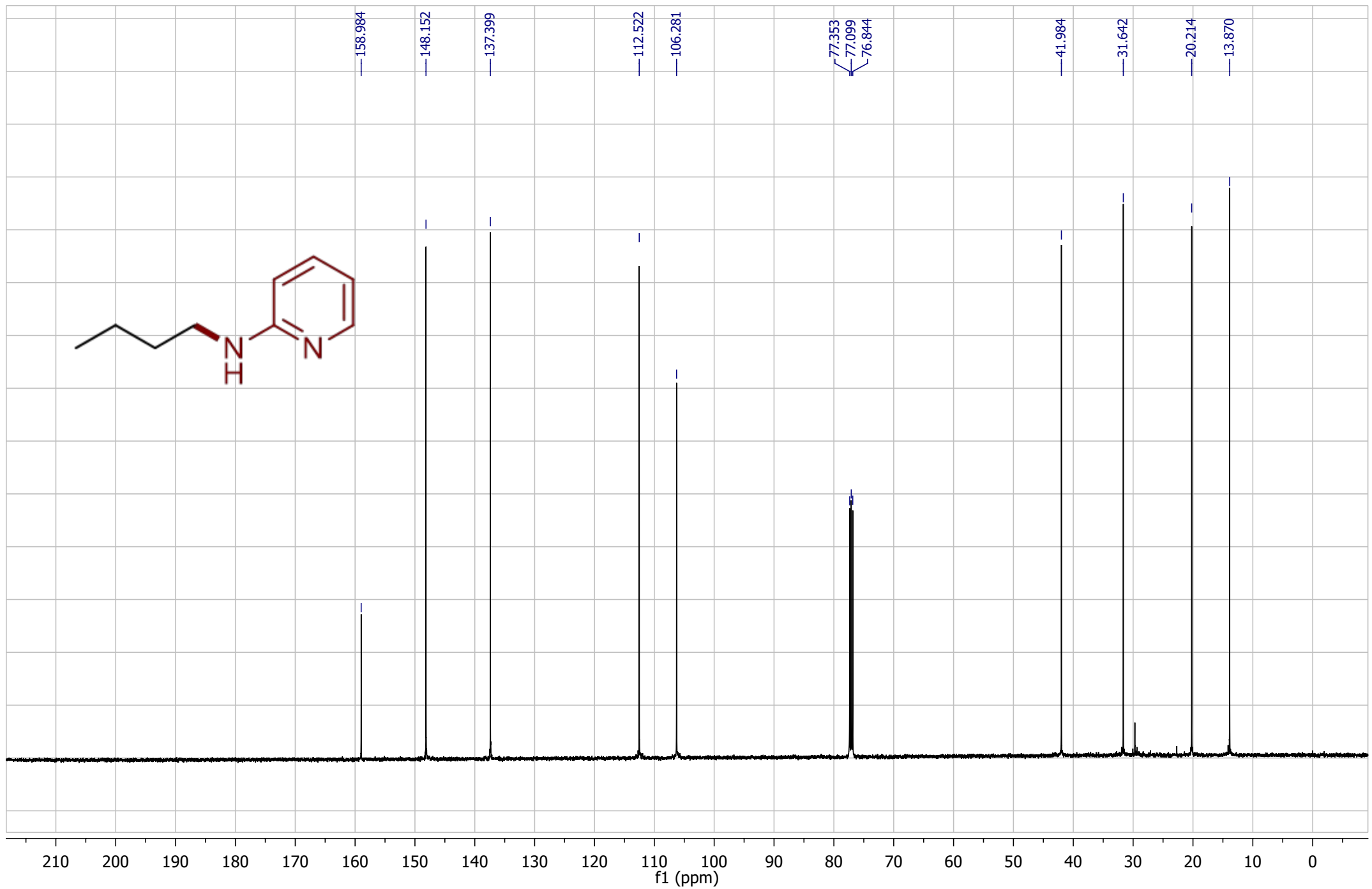
N-butylaniline (3w)



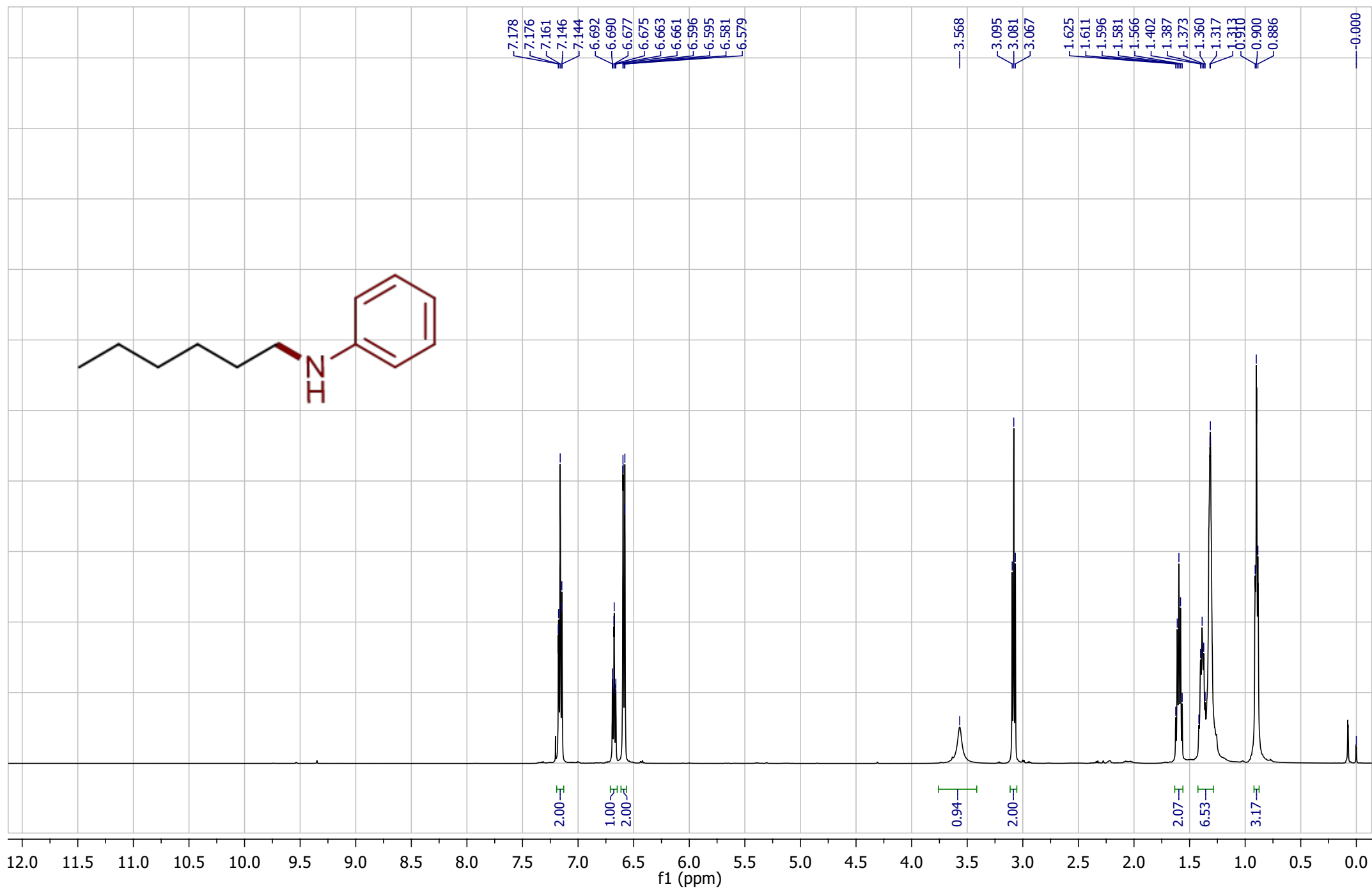
N-butylaniline (3w)



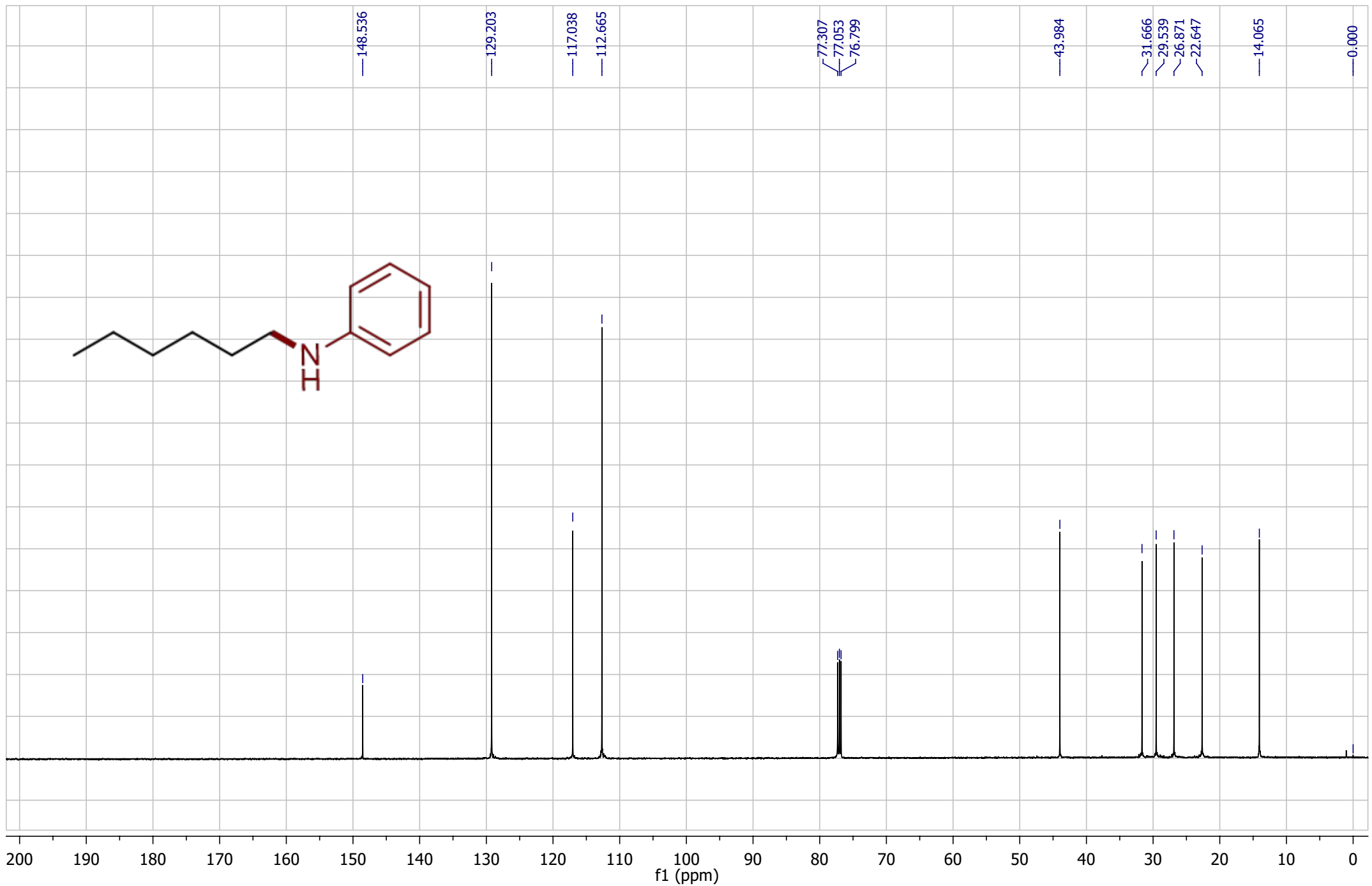
N-butylpyridin-2-amine (3x)



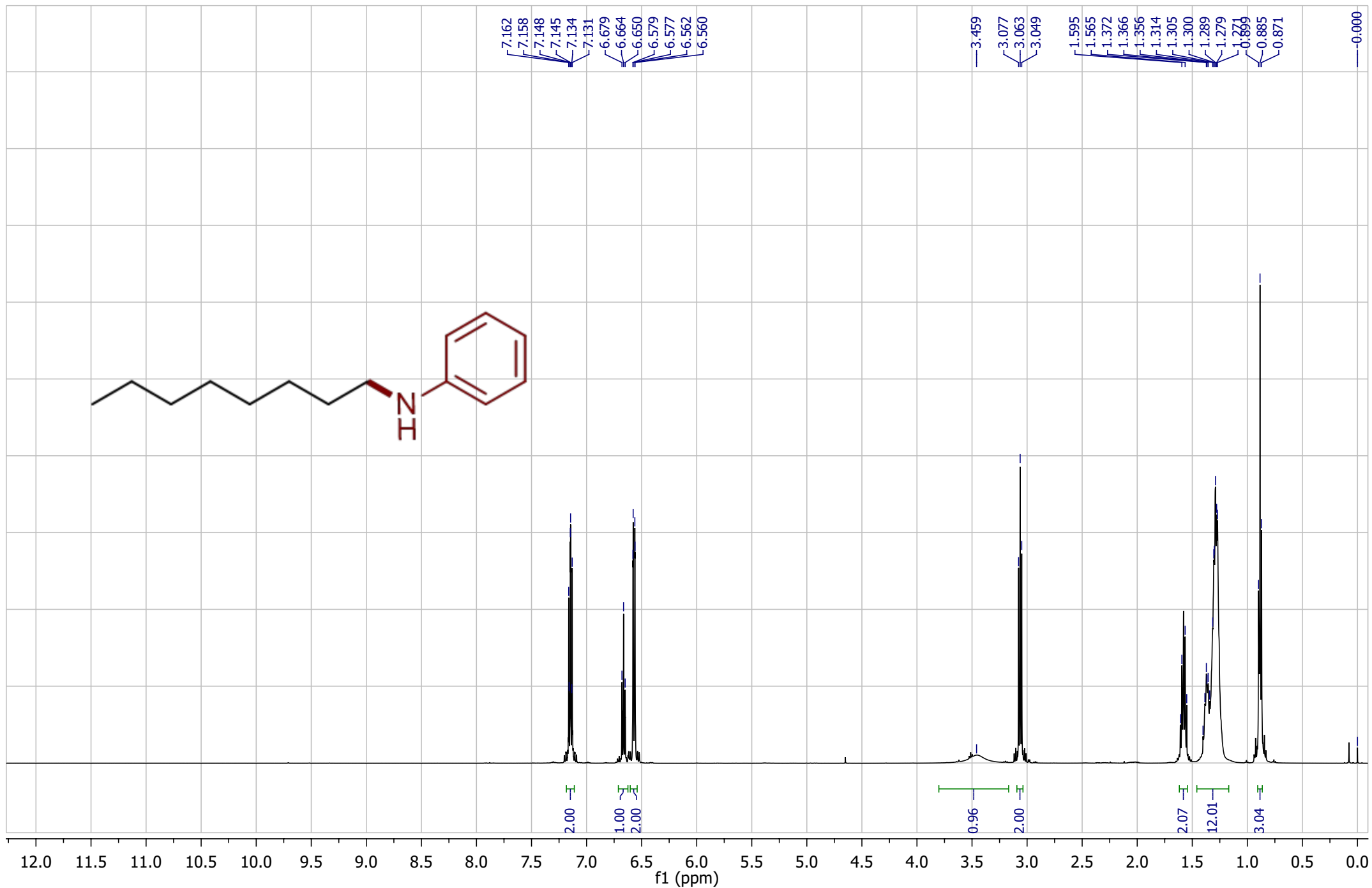
N-butylpyridin-2-amine (3x)



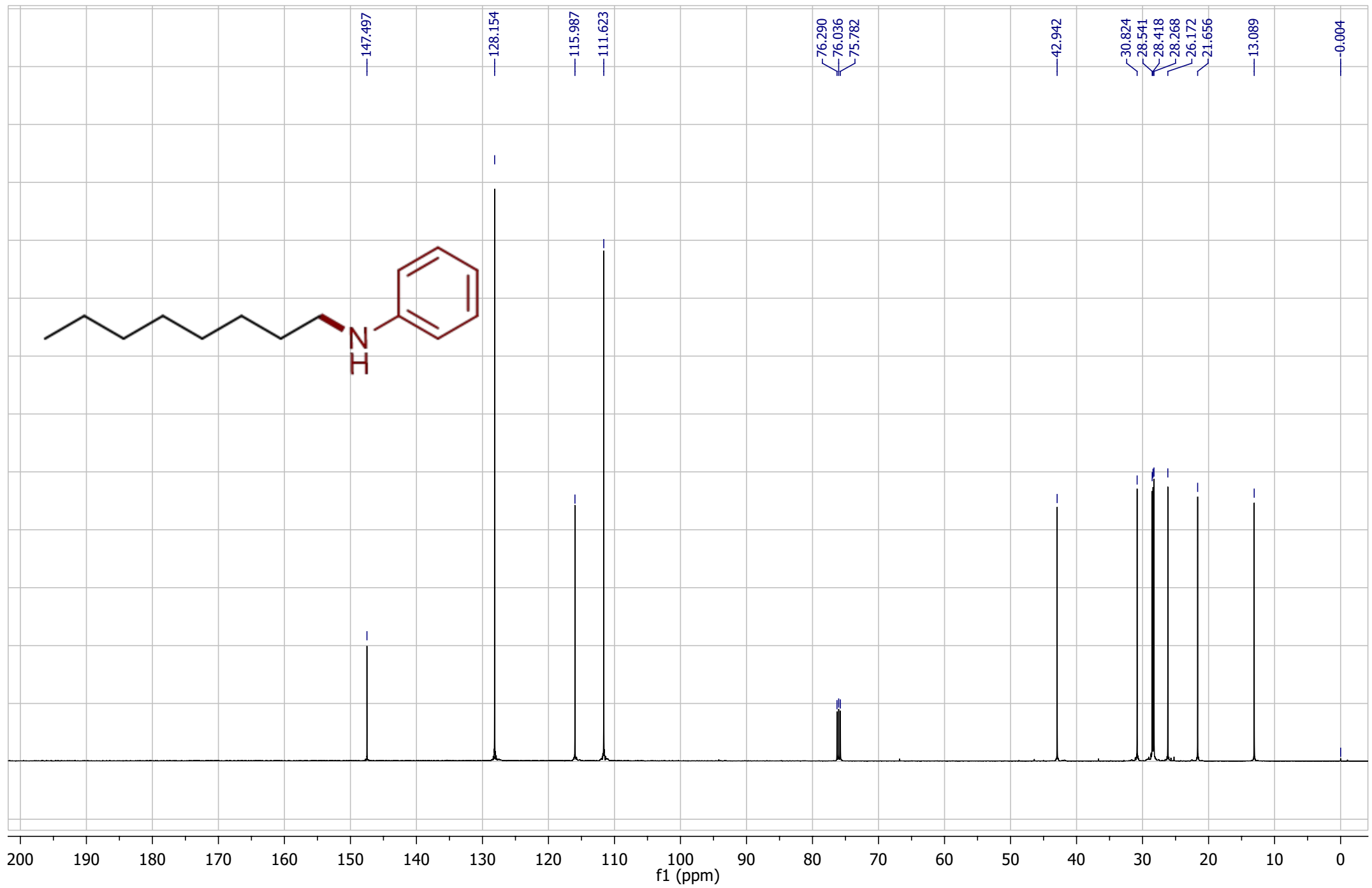
N-hexylaniline (3y)



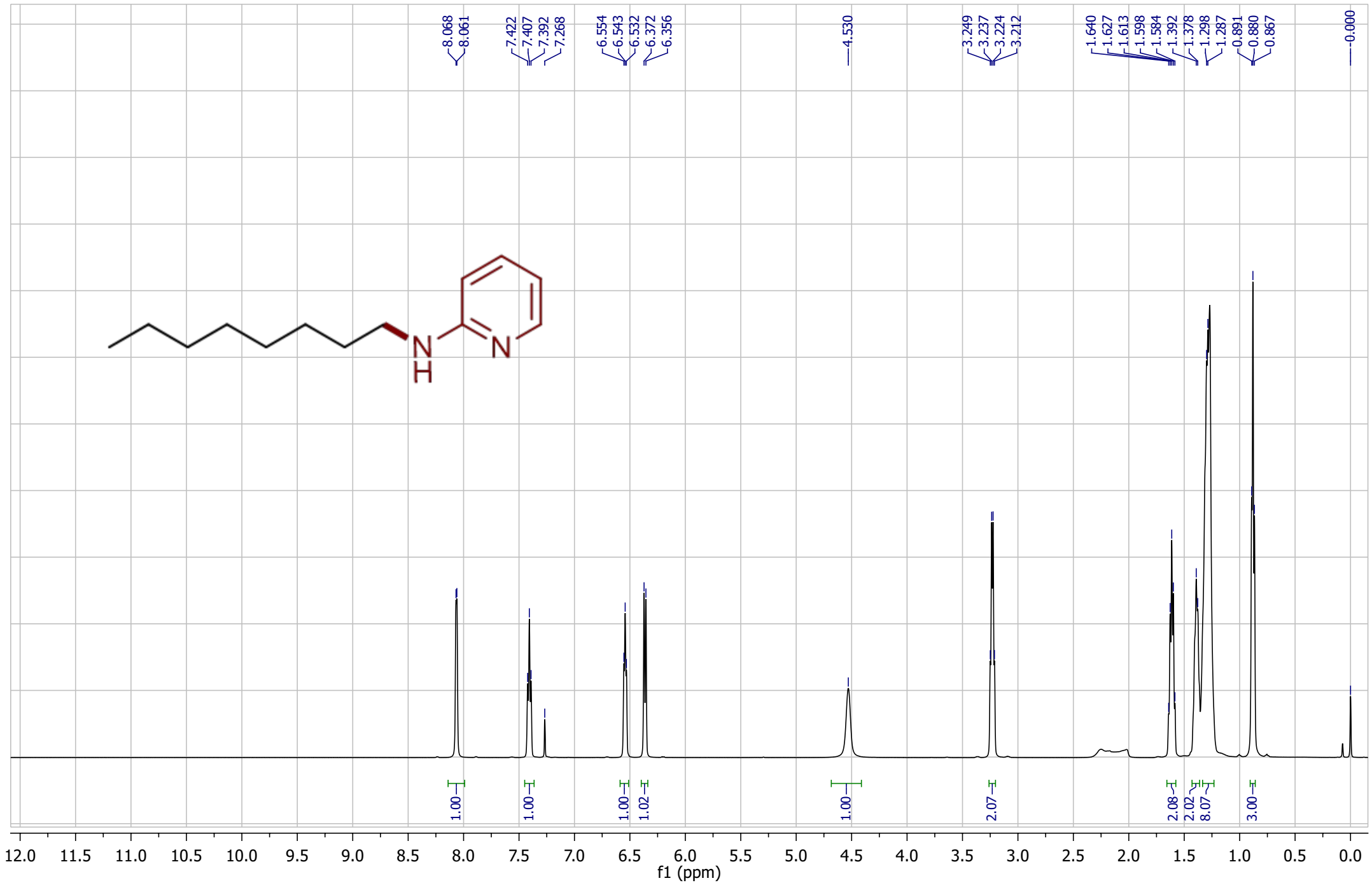
N-hexylaniline (3y)



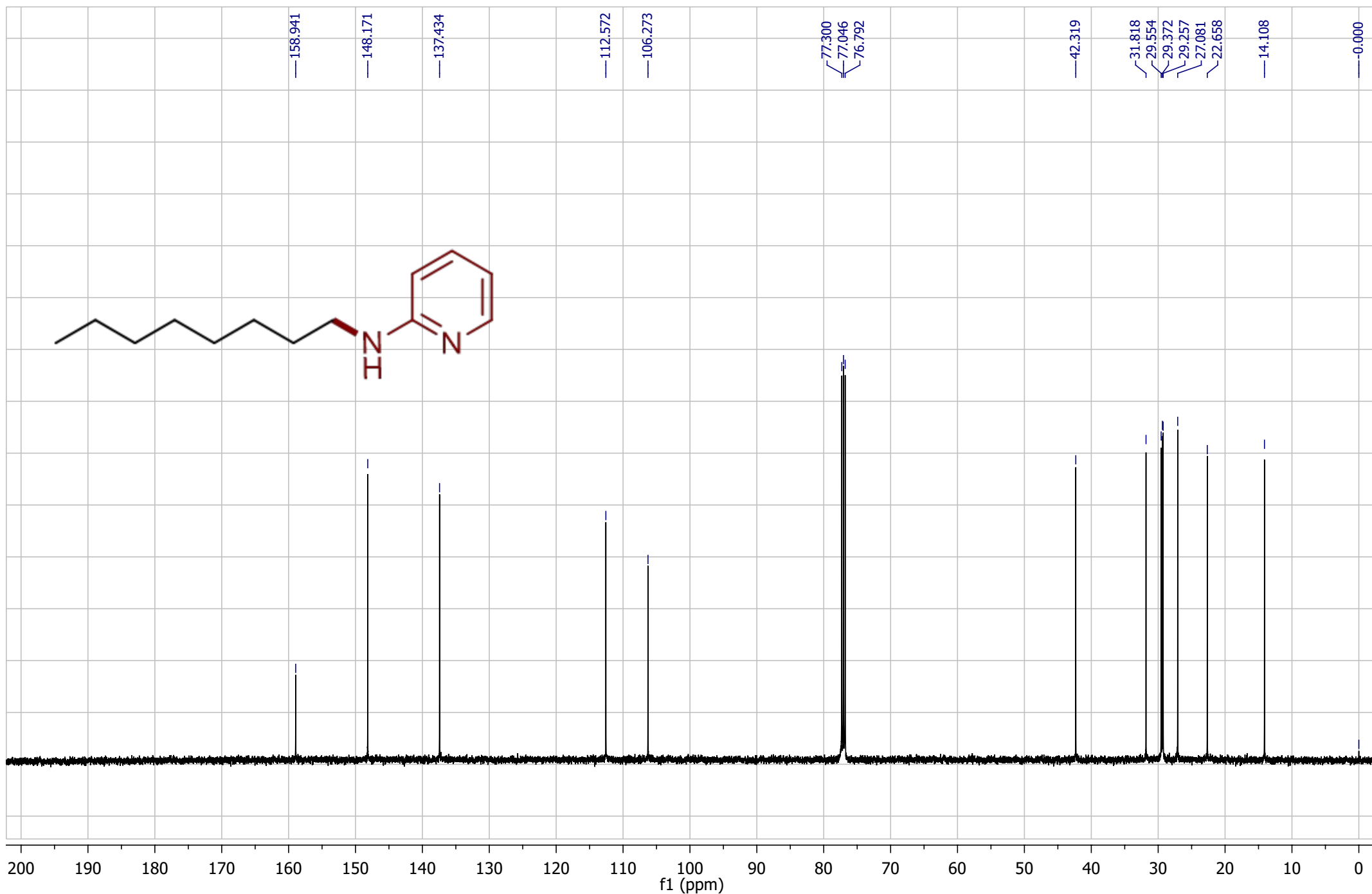
N-octylaniline (3z)



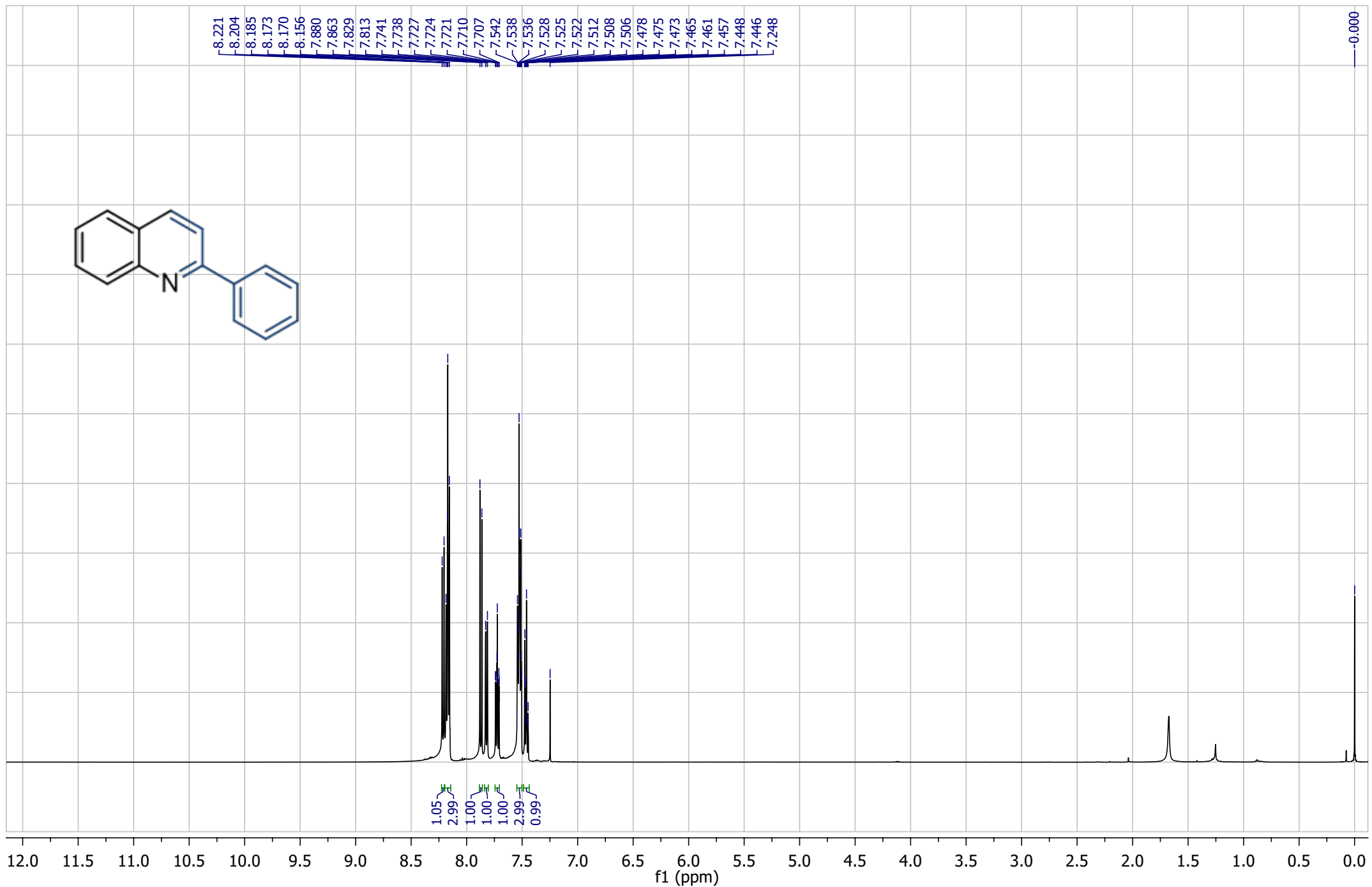
N-octylaniline (3z)



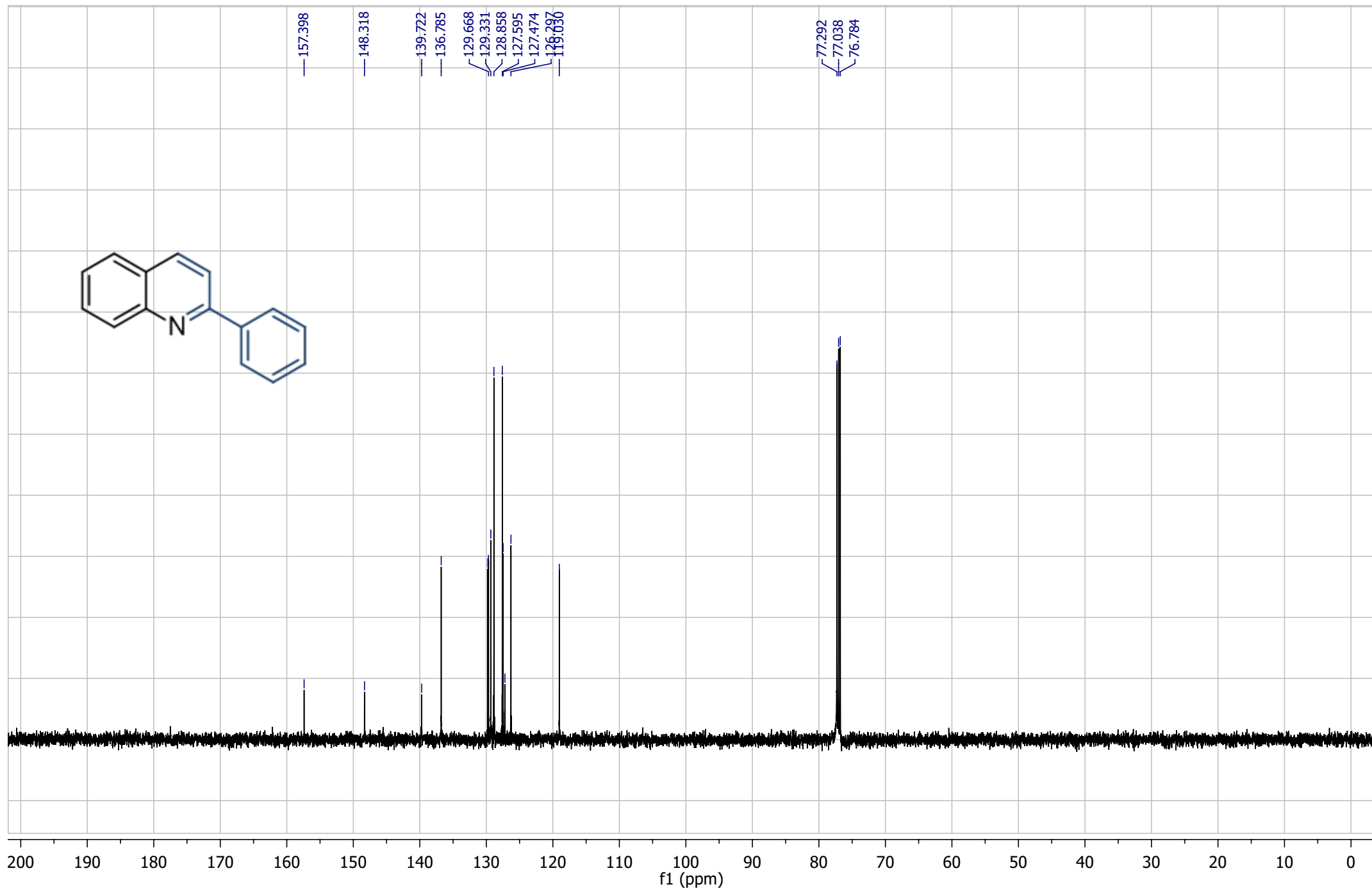
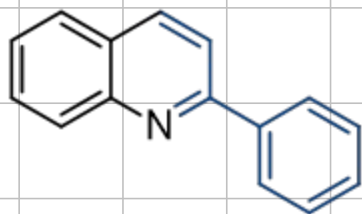
N-octylpyridin-2-amine (3z')



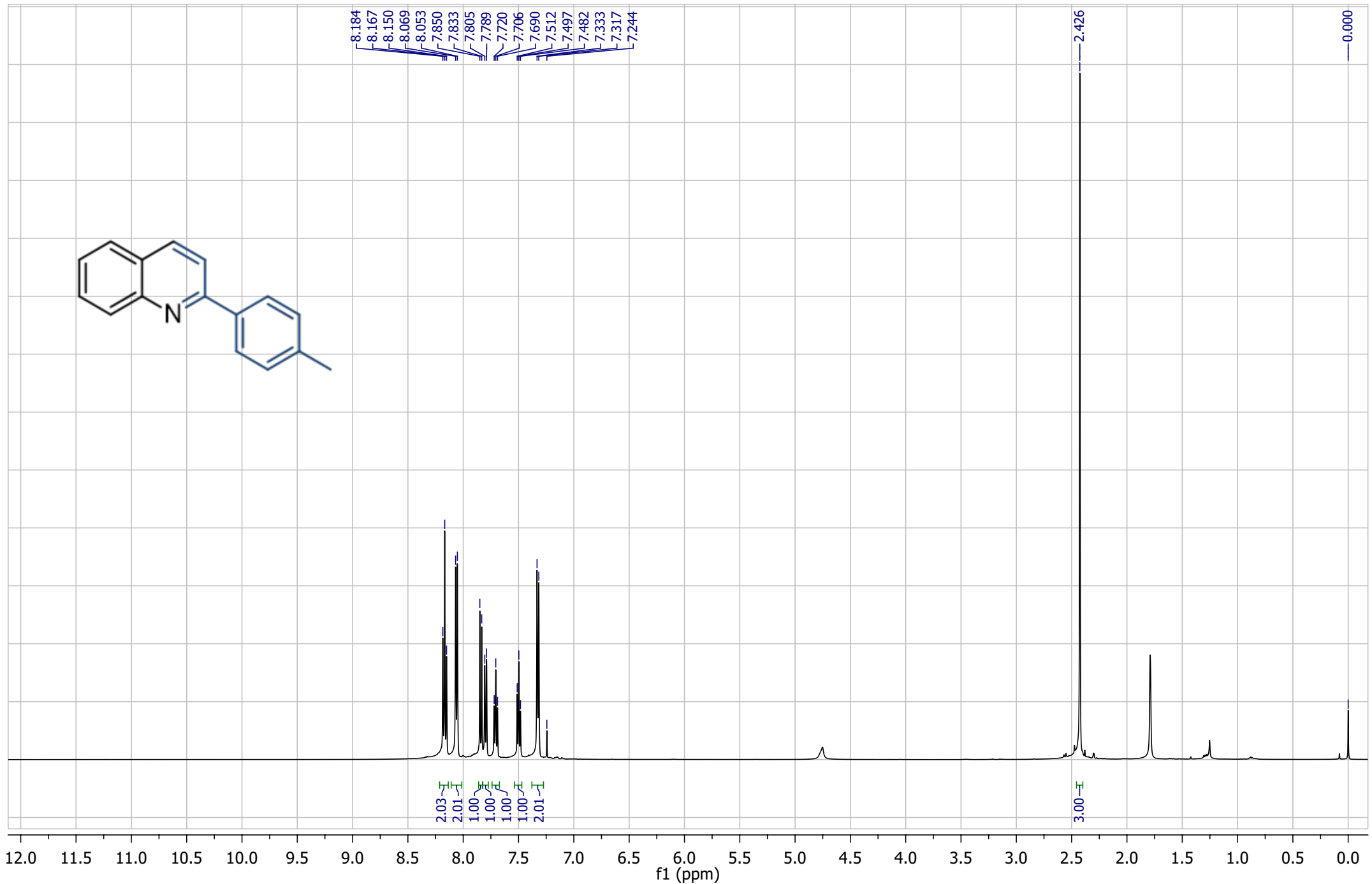
N-octylpyridin-2-amine (3z')



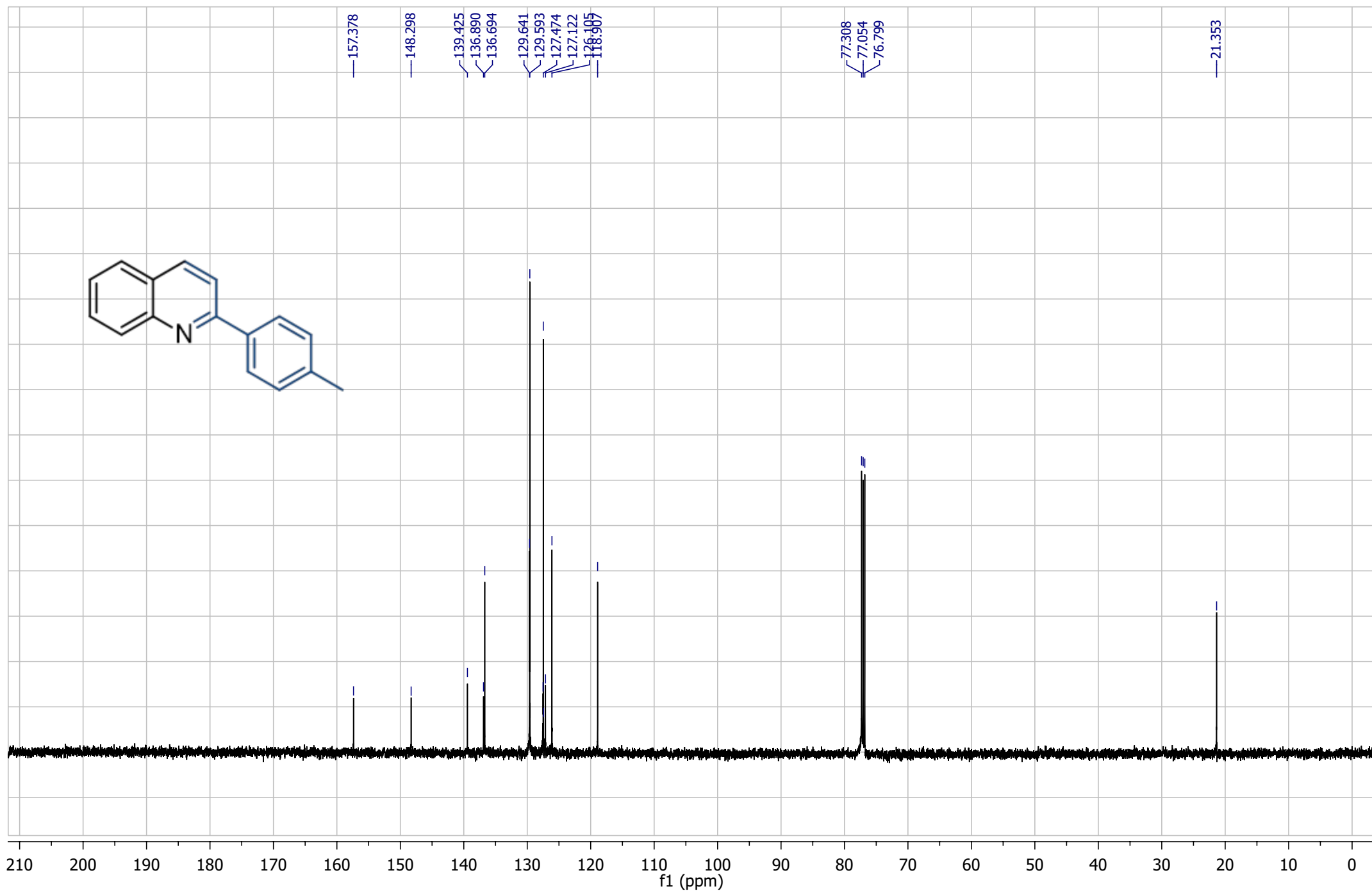
2-phenylquinoline (6a)



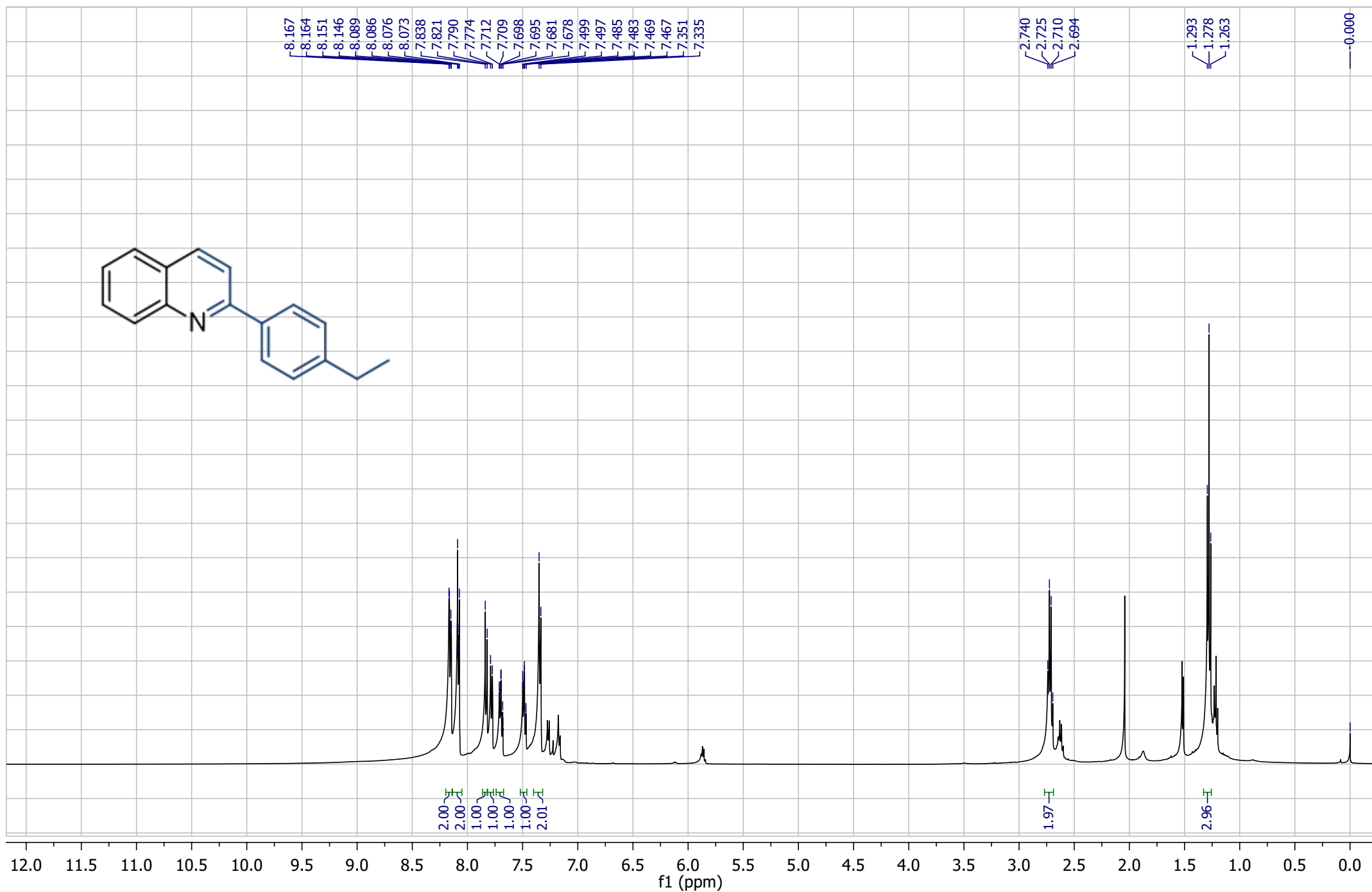
2-phenylquinoline (6a)



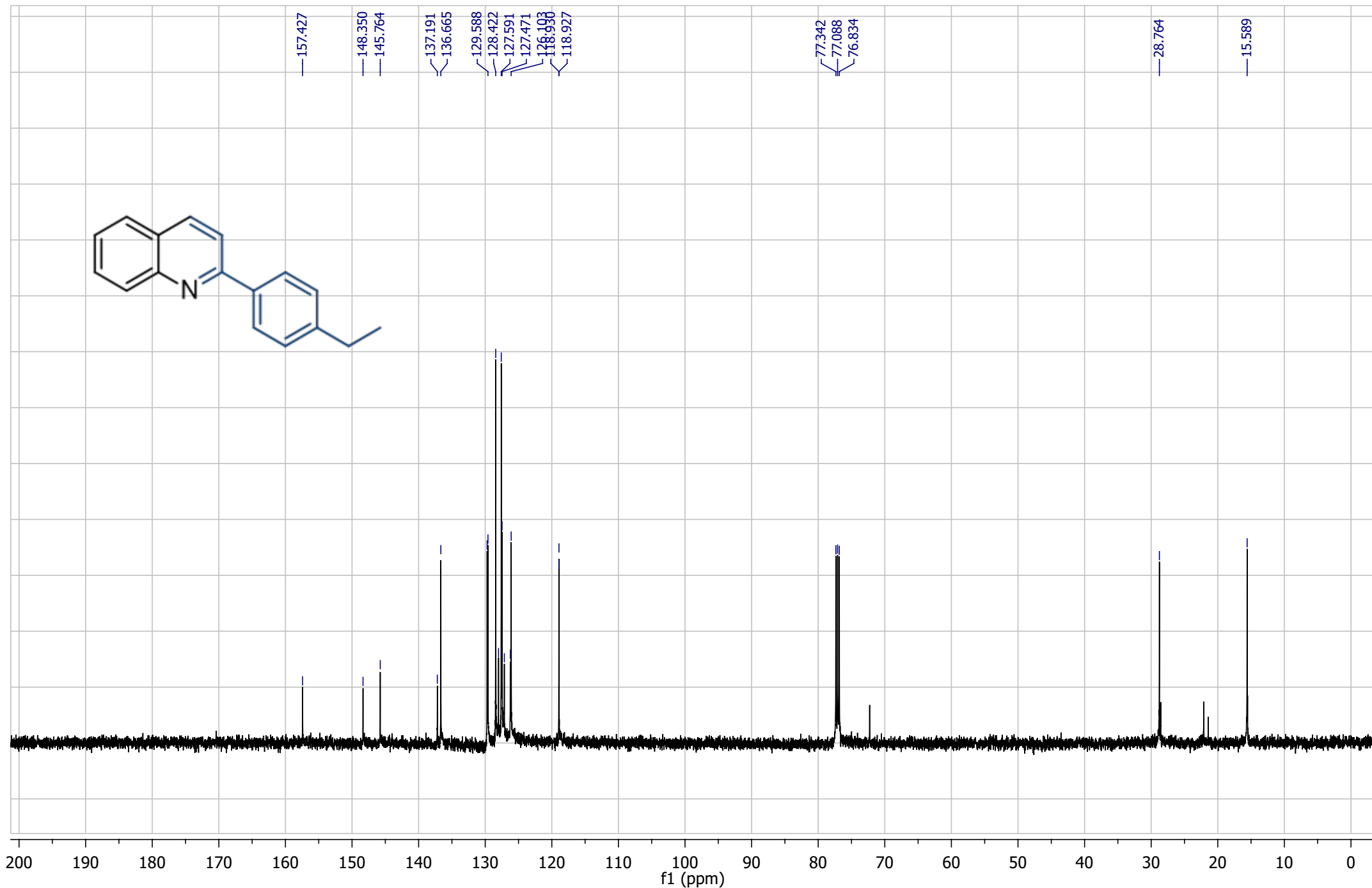
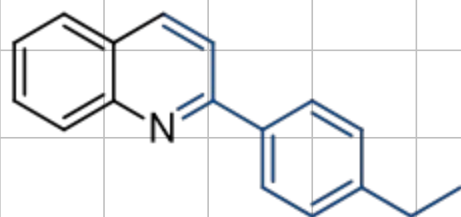
2-(p-tolyl)quinoline (6b)



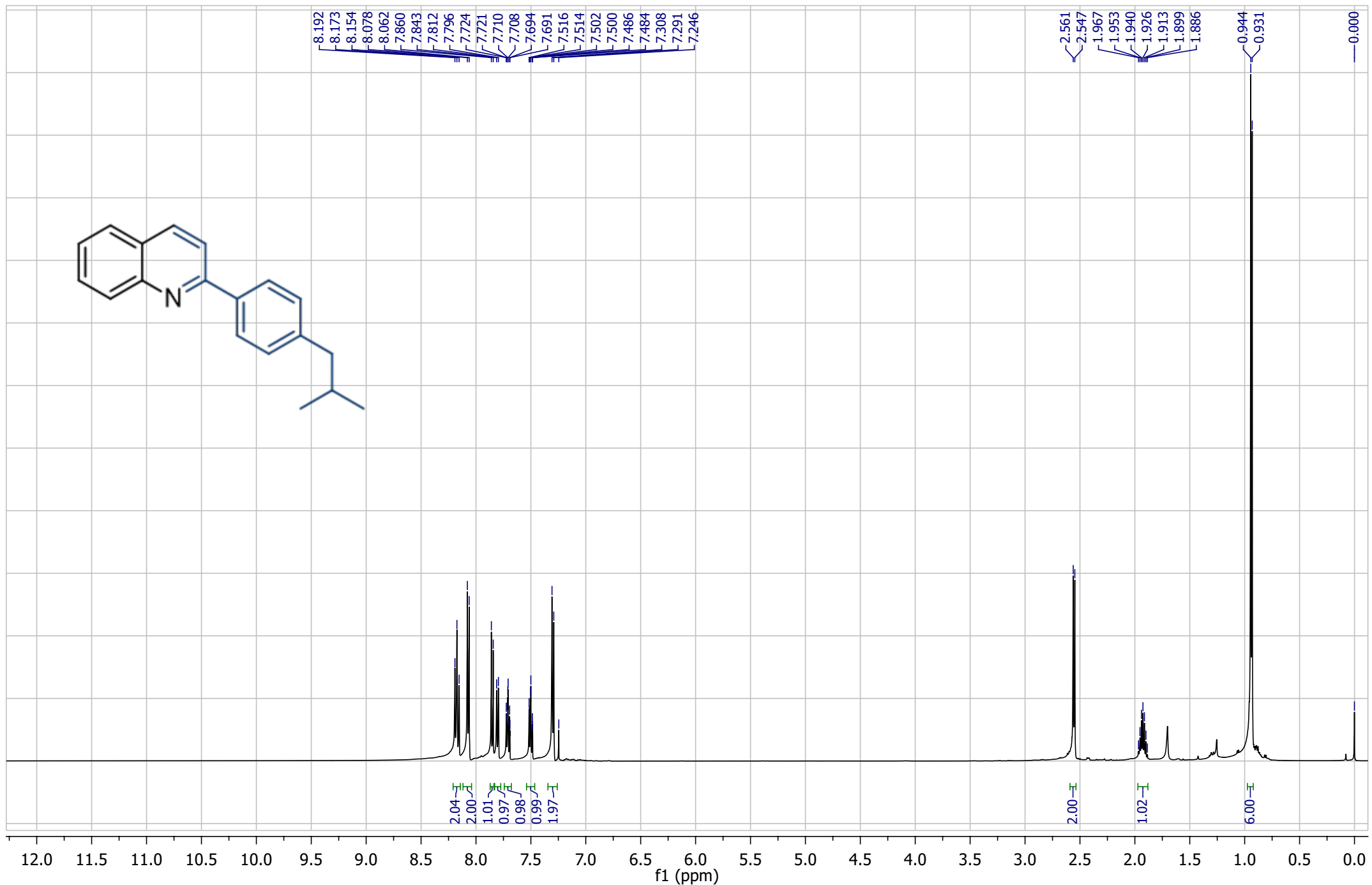
2-(p-tolyl)quinoline (6b)



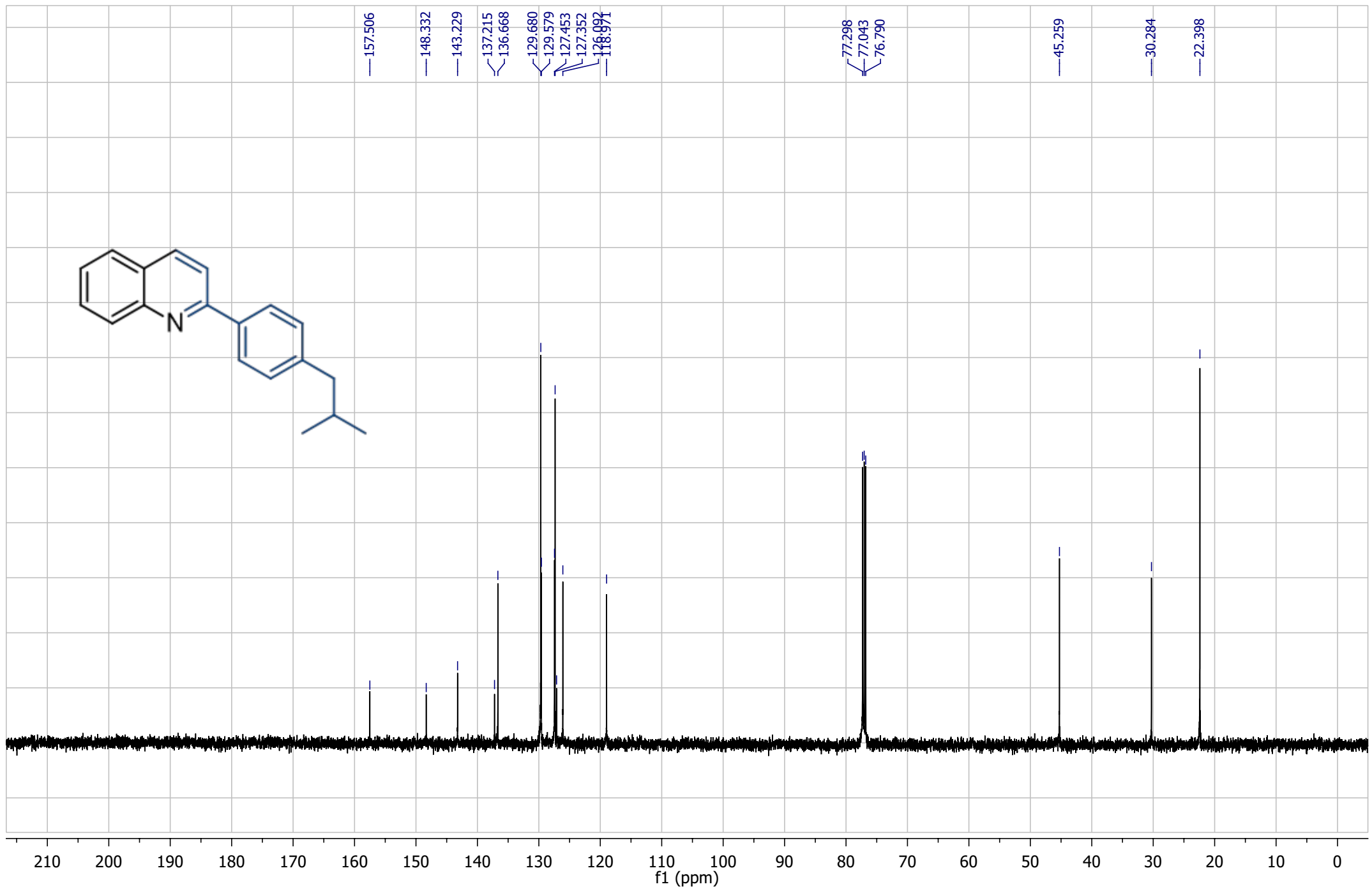
2-(4-ethylphenyl)quinoline (6c)



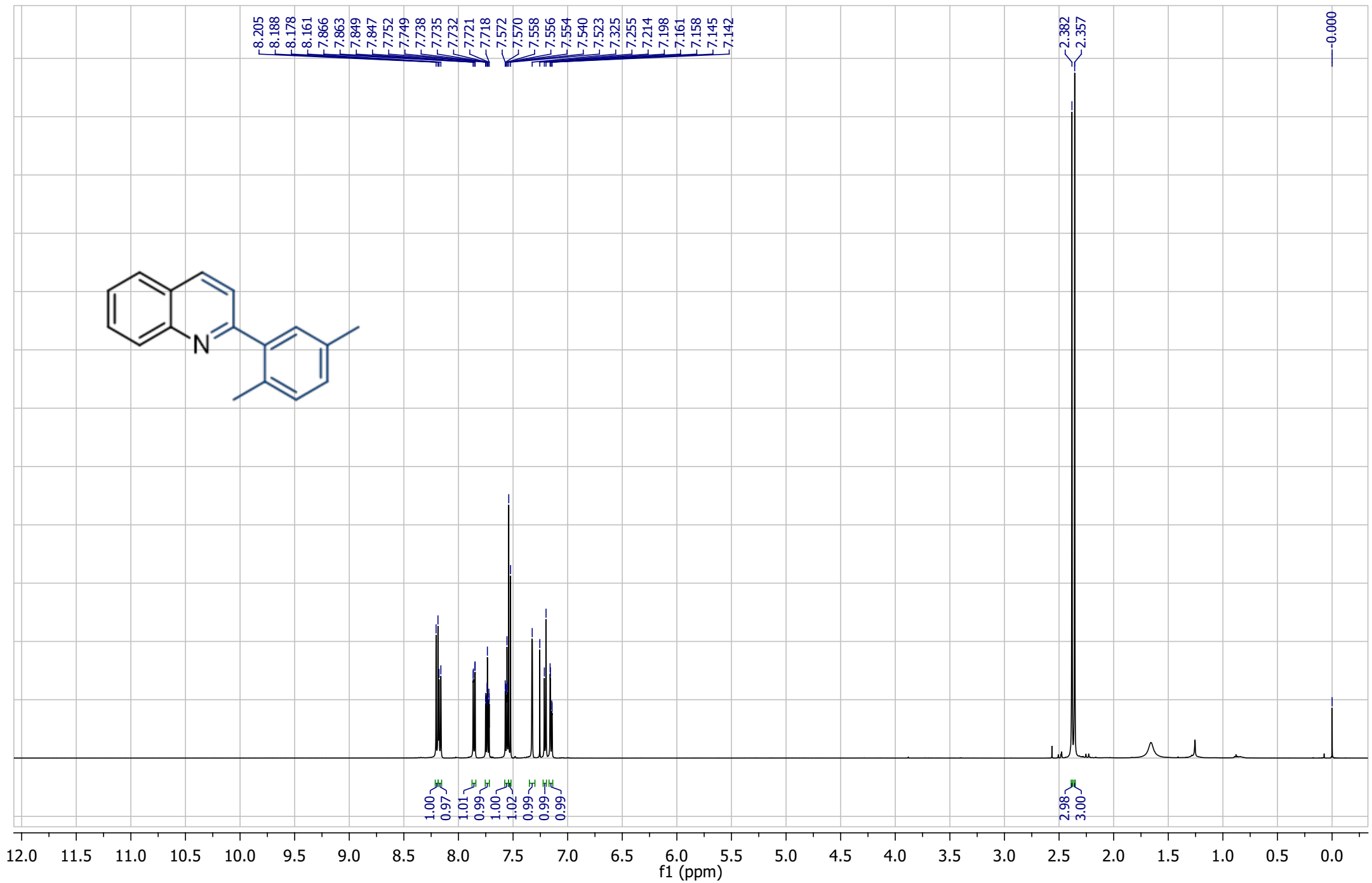
2-(4-ethylphenyl)quinoline (6c)



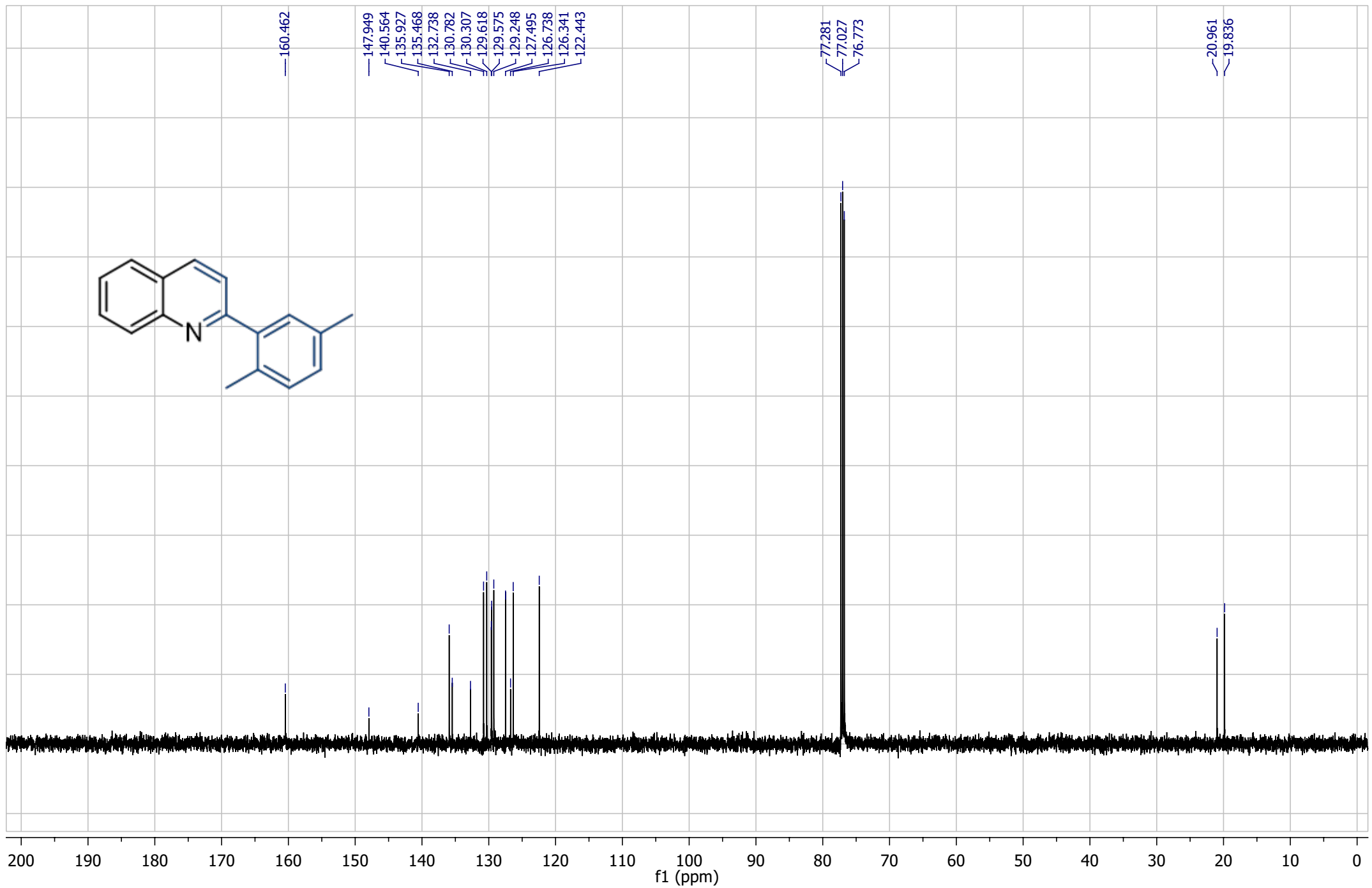
2-(4-isobutylphenyl)quinoline (6d)



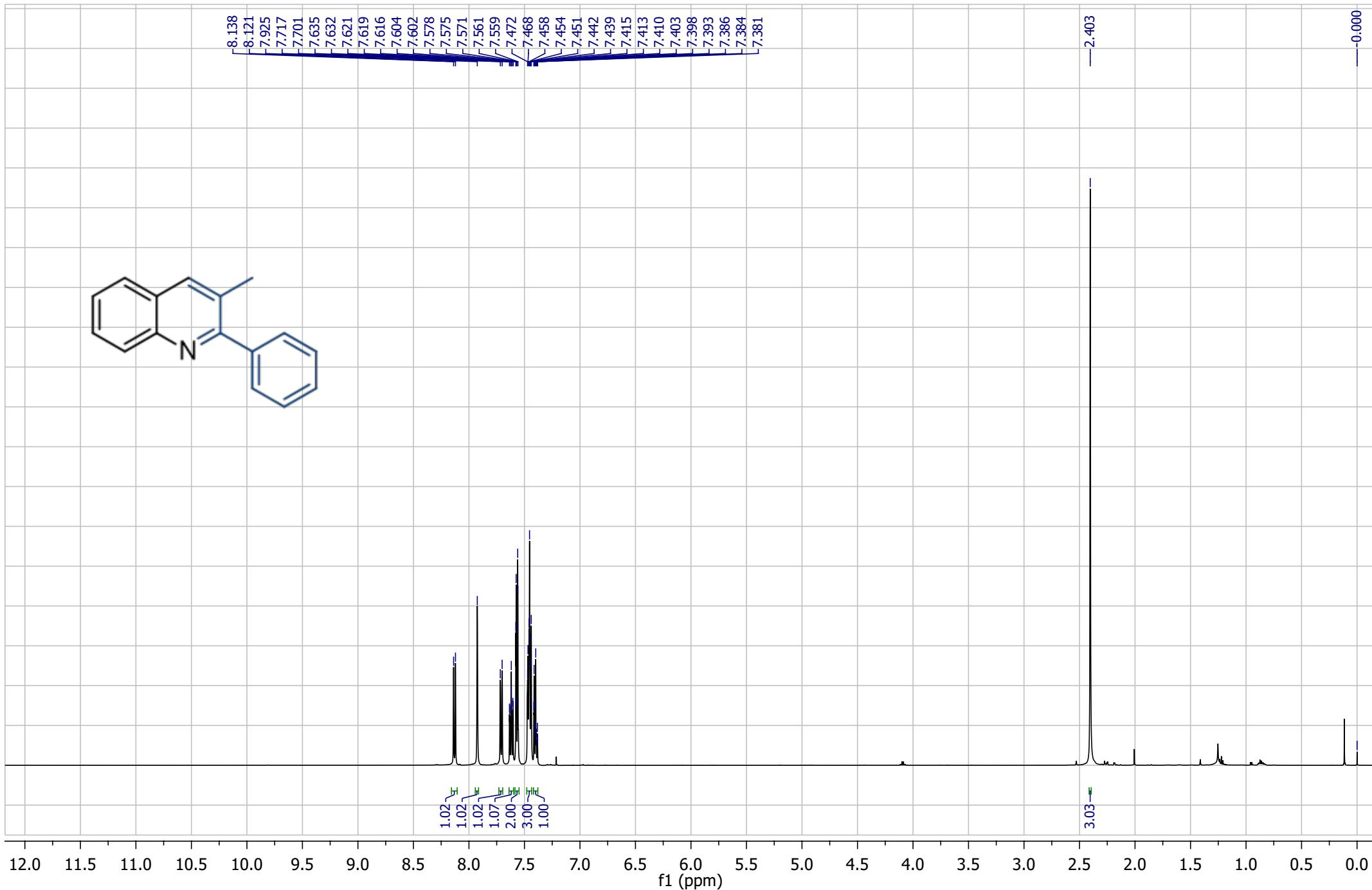
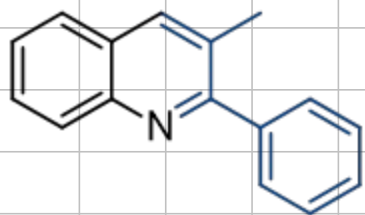
2-(4-isobutylphenyl)quinoline (6d)



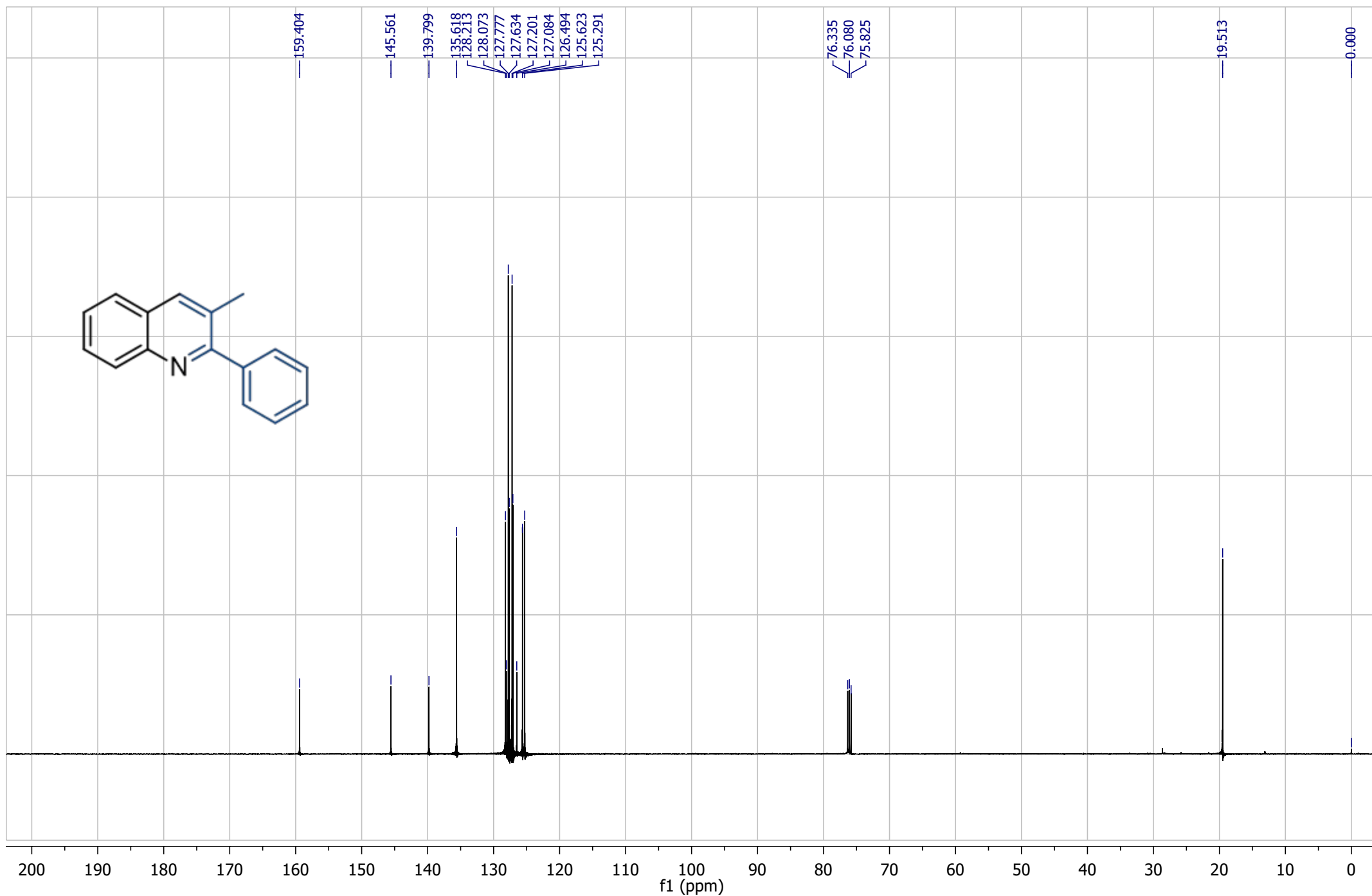
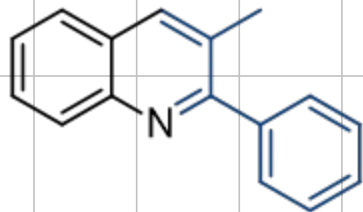
2-(2,5-dimethylphenyl)quinoline (6e)



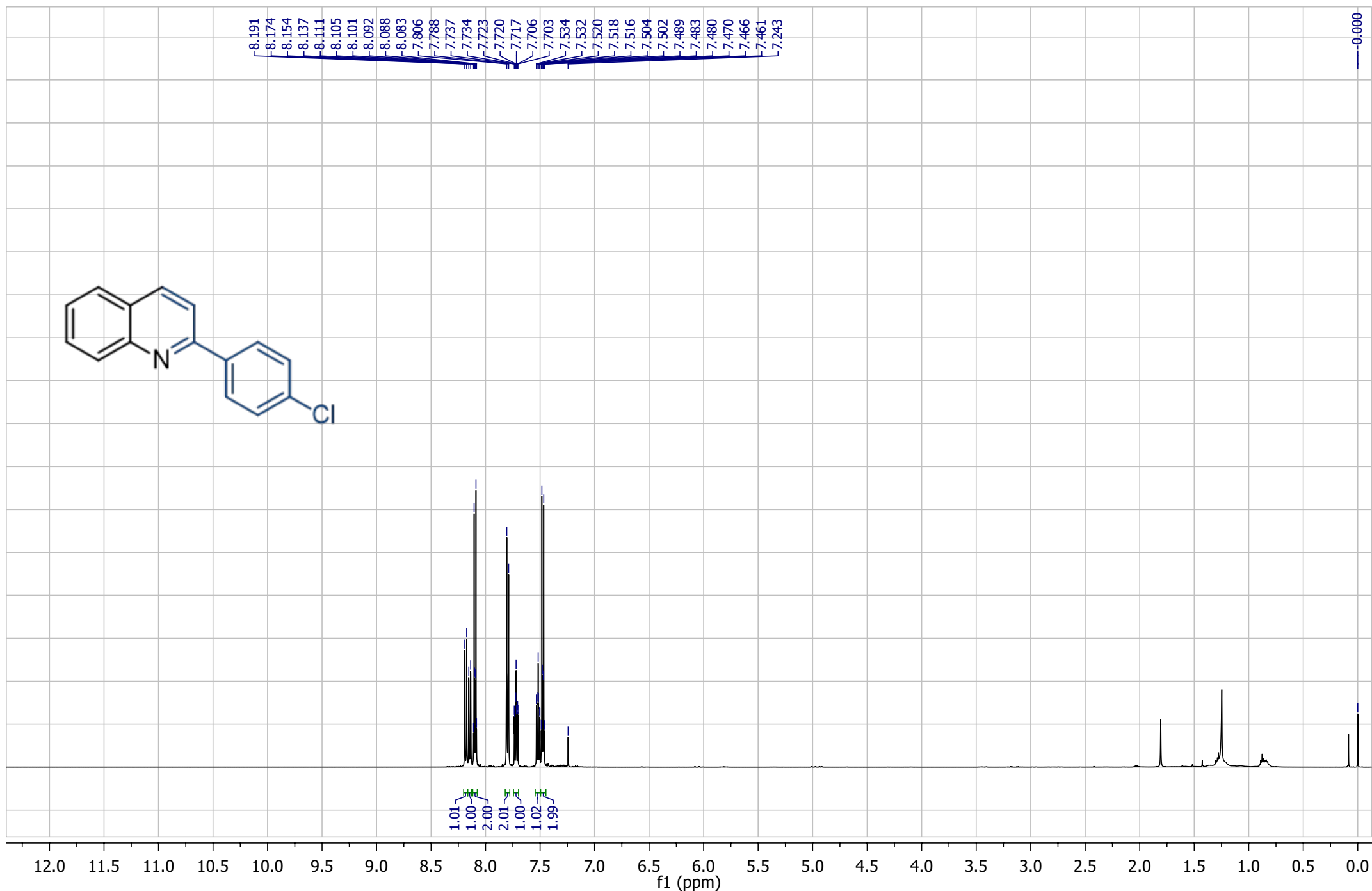
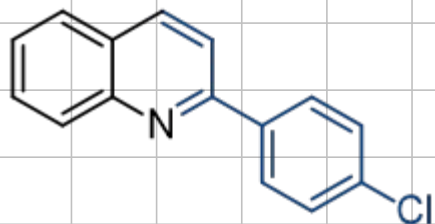
2-(2,5-dimethylphenyl)quinoline (6e)



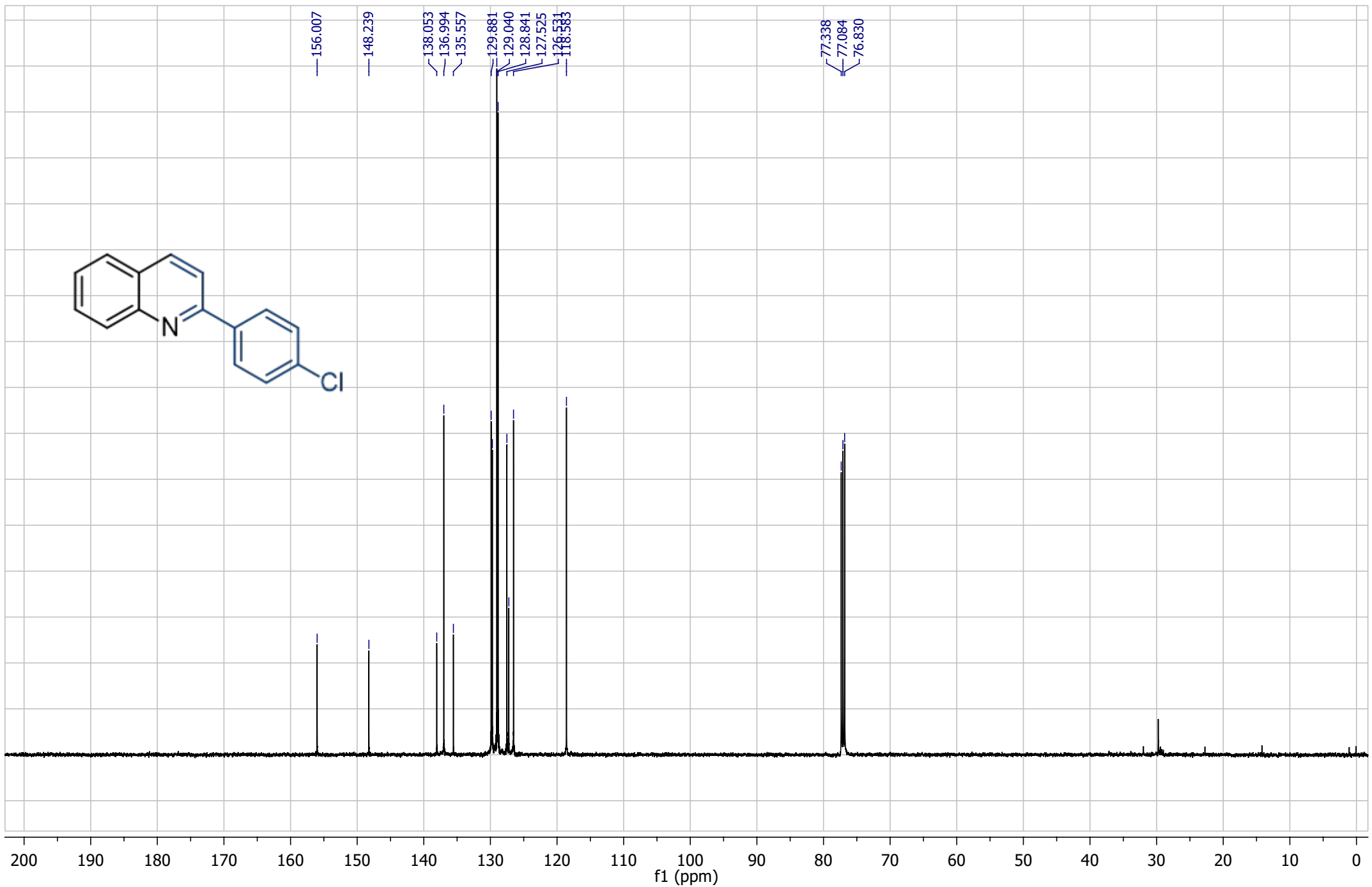
3-methyl-2-phenylquinoline (6f)



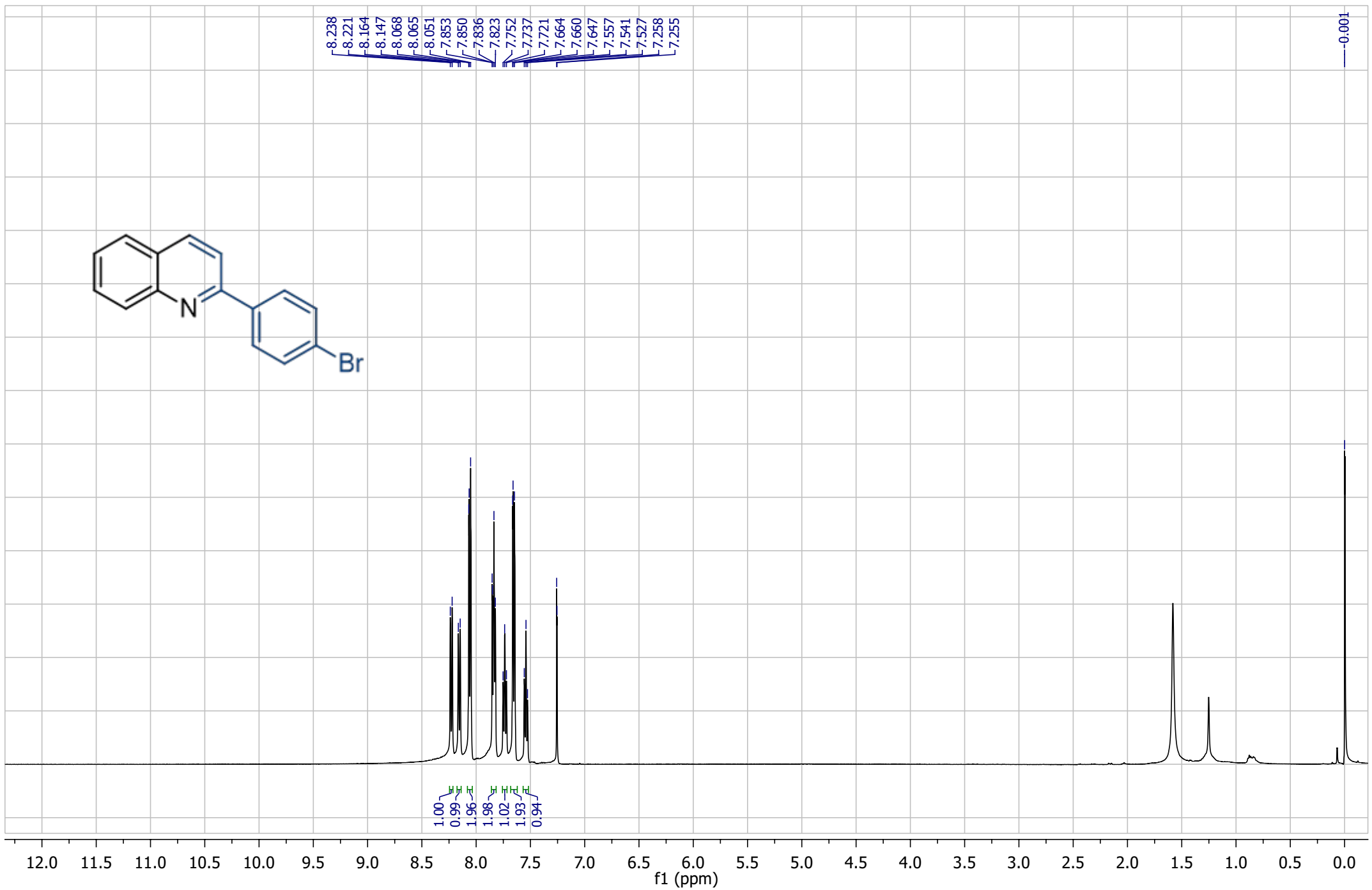
3-methyl-2-phenylquinoline (6f)



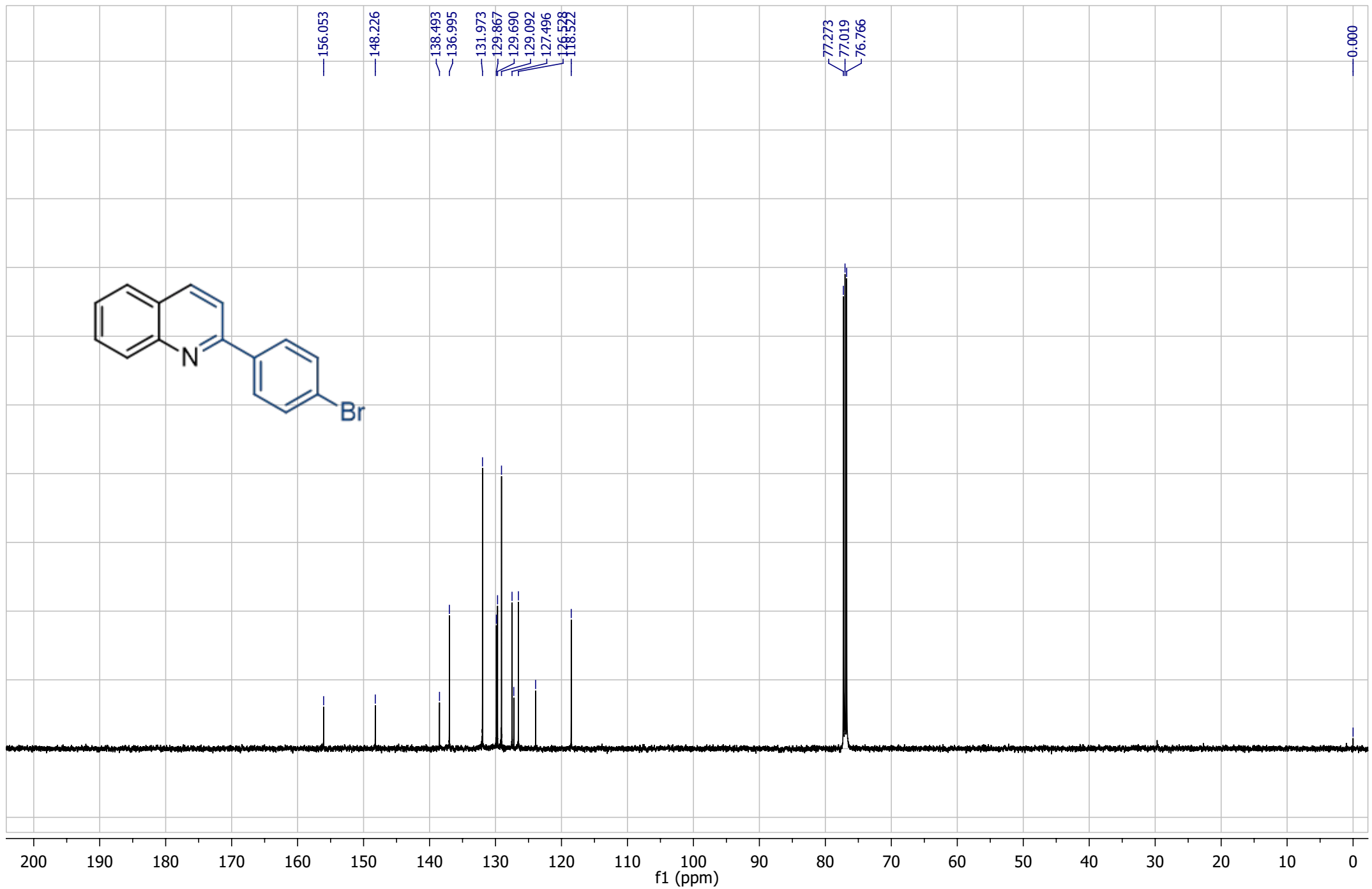
2-(4-chlorophenyl)quinoline (6g)



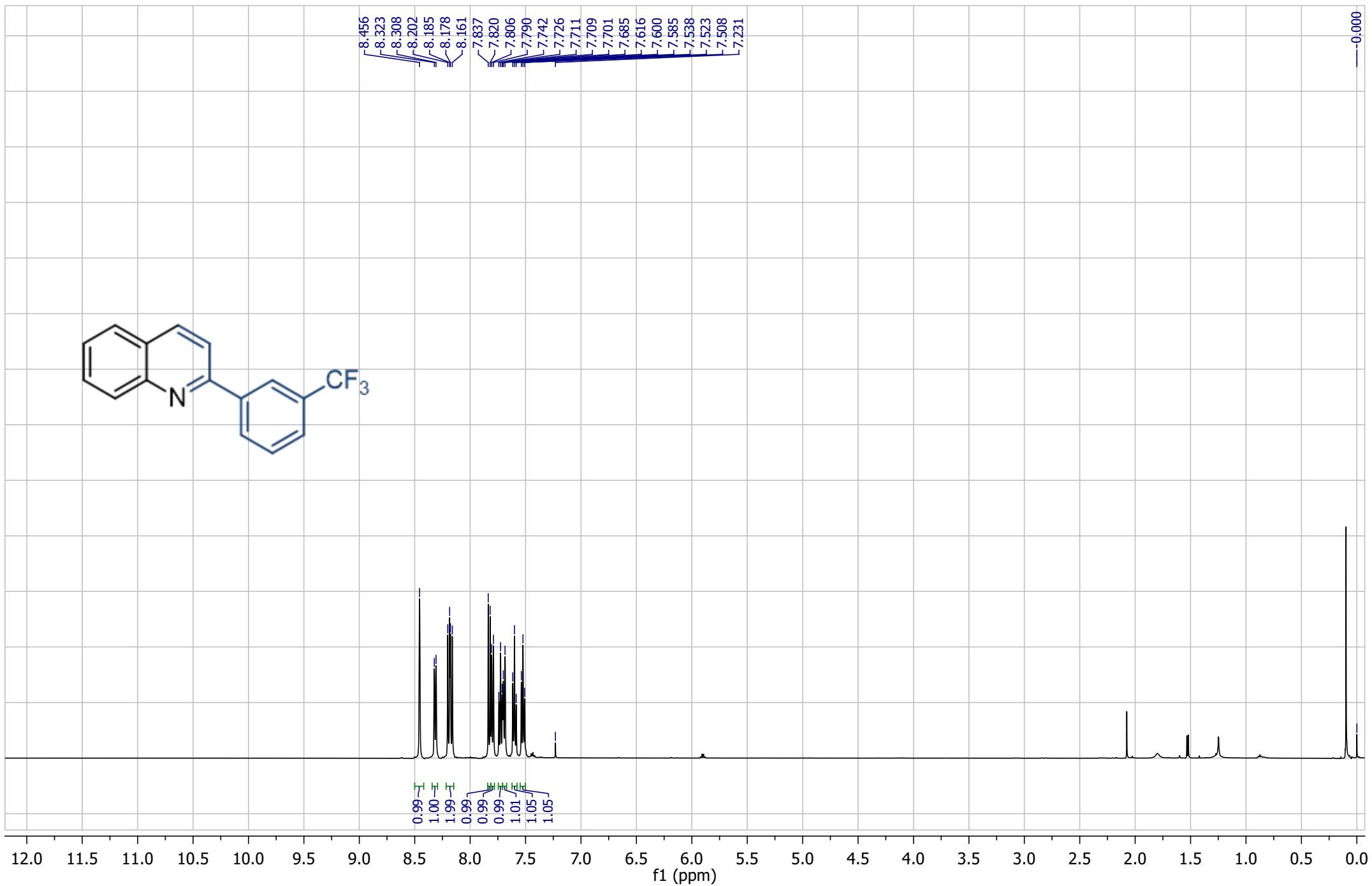
2-(4-chlorophenyl)quinoline (6g)



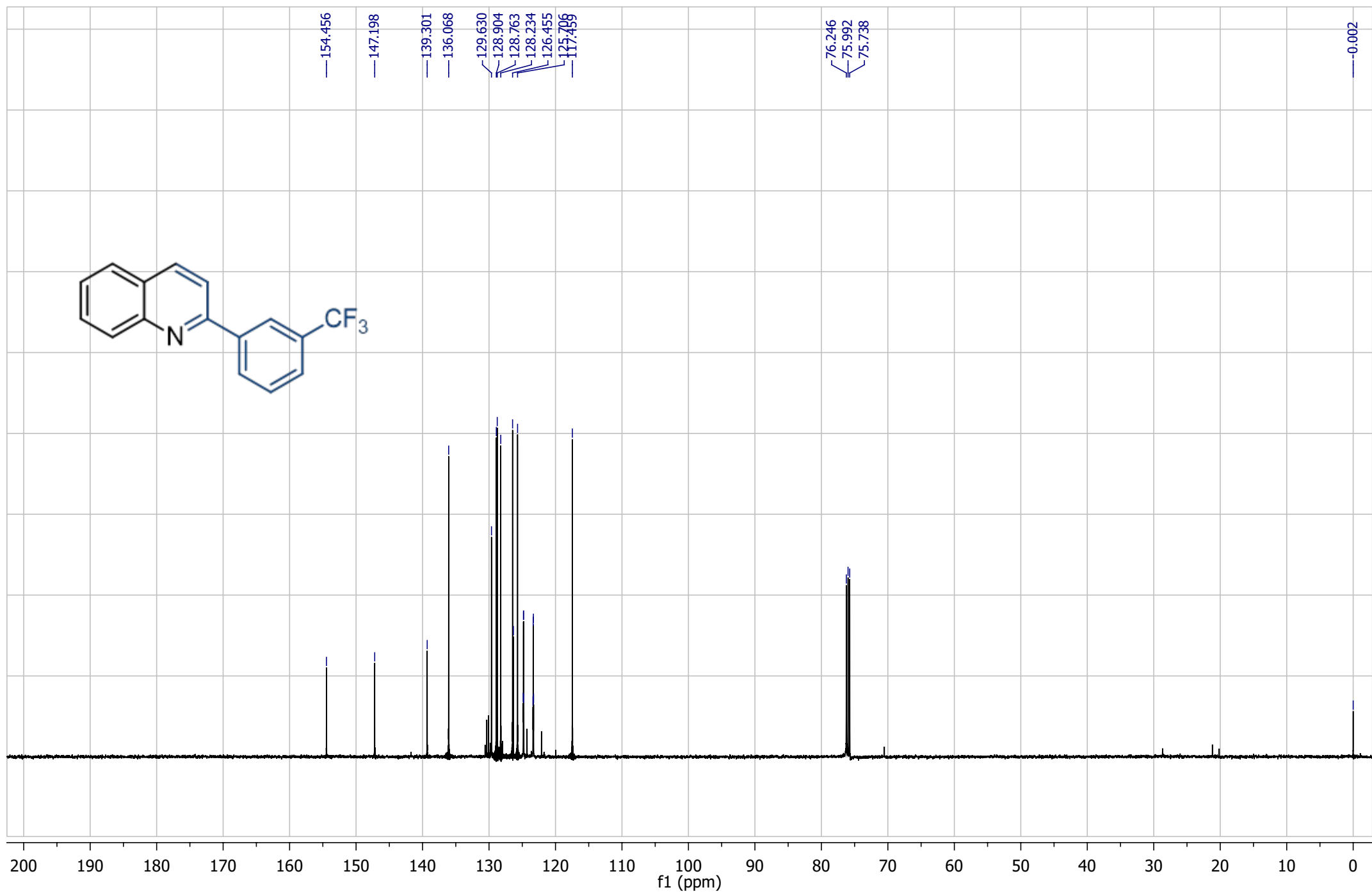
2-(4-bromophenyl)quinoline (6h)



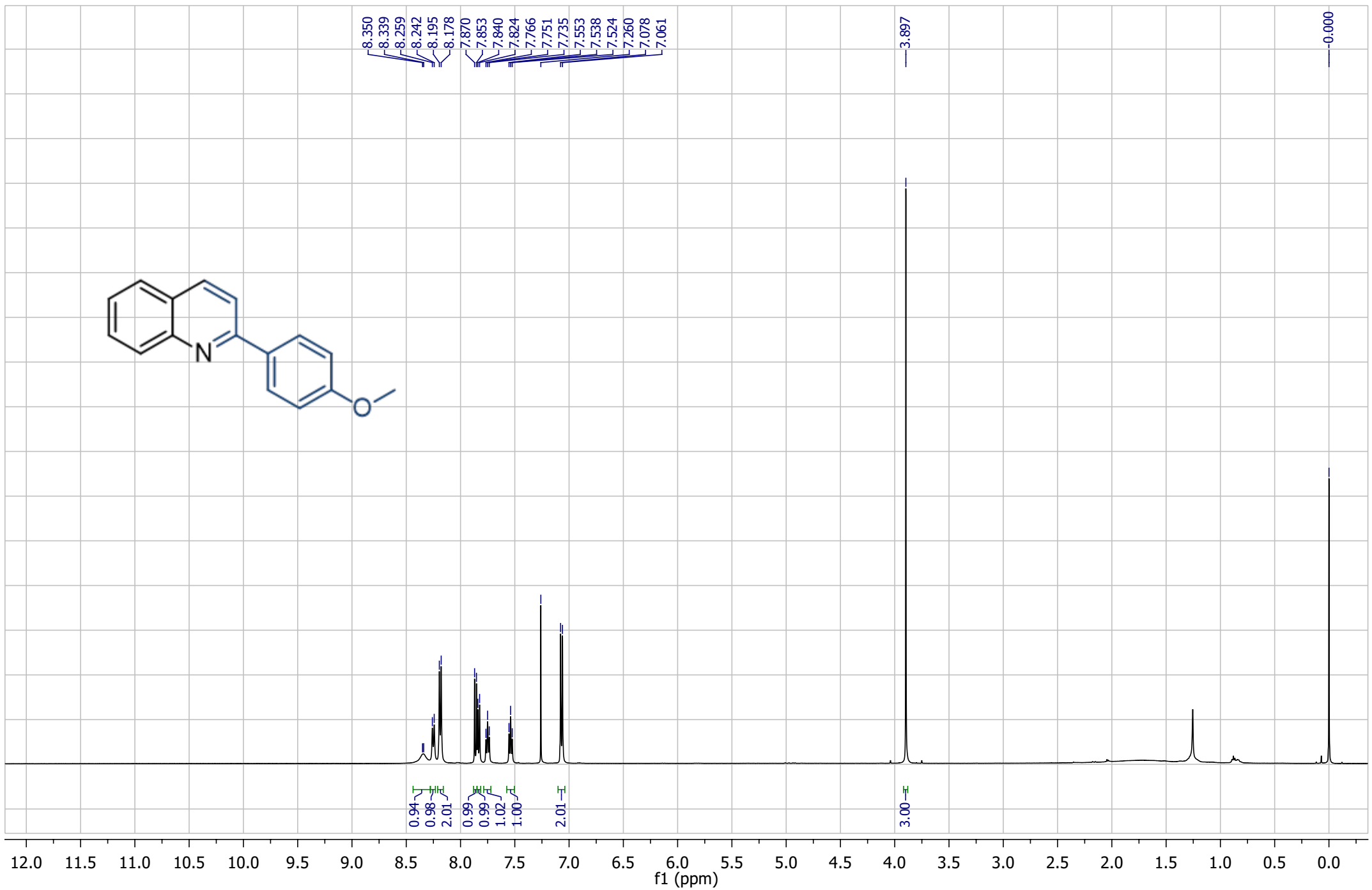
2-(4-bromophenyl)quinoline (6h)



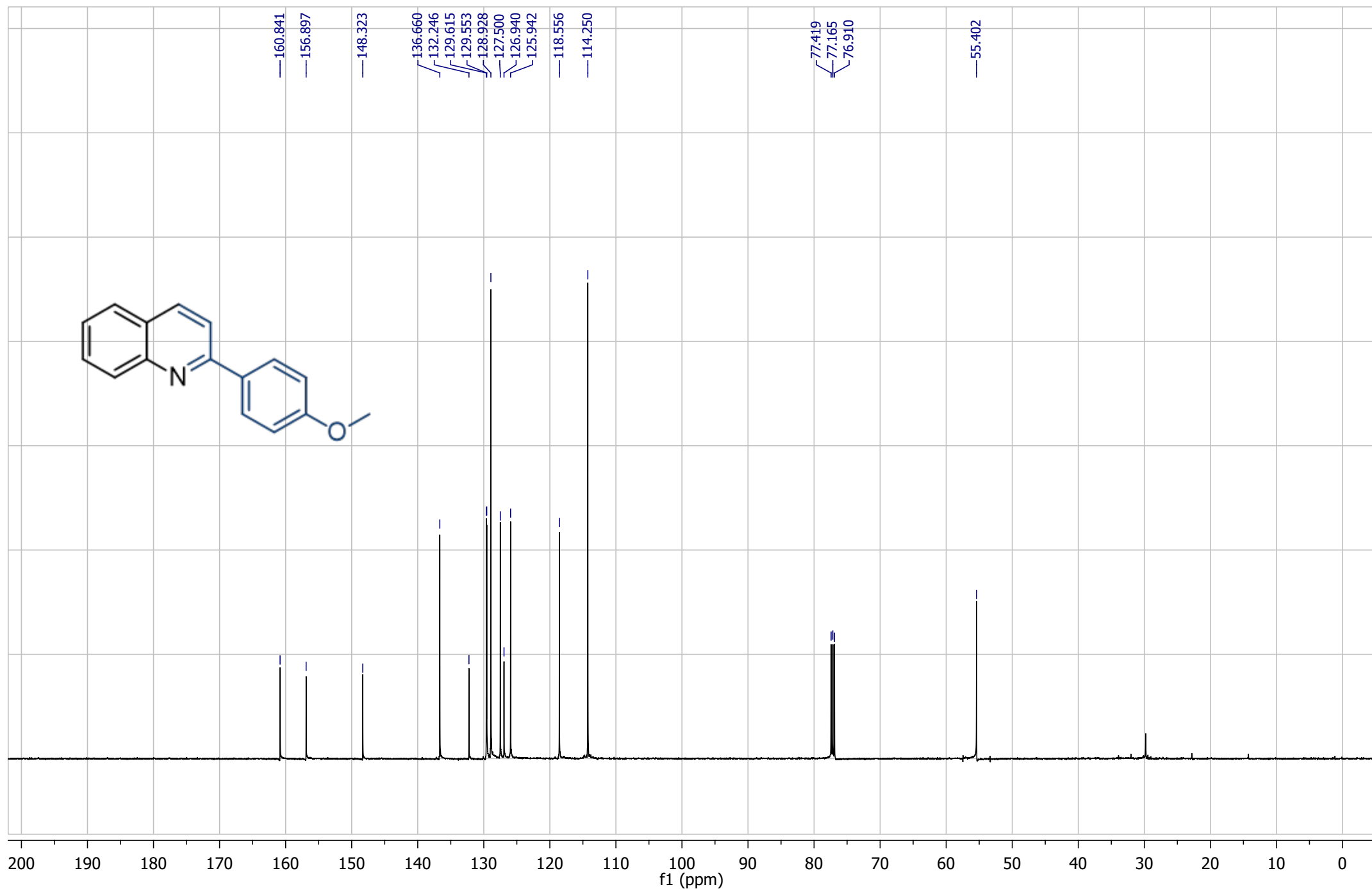
2-(3-(trifluoromethyl)phenyl)quinoline (6i)



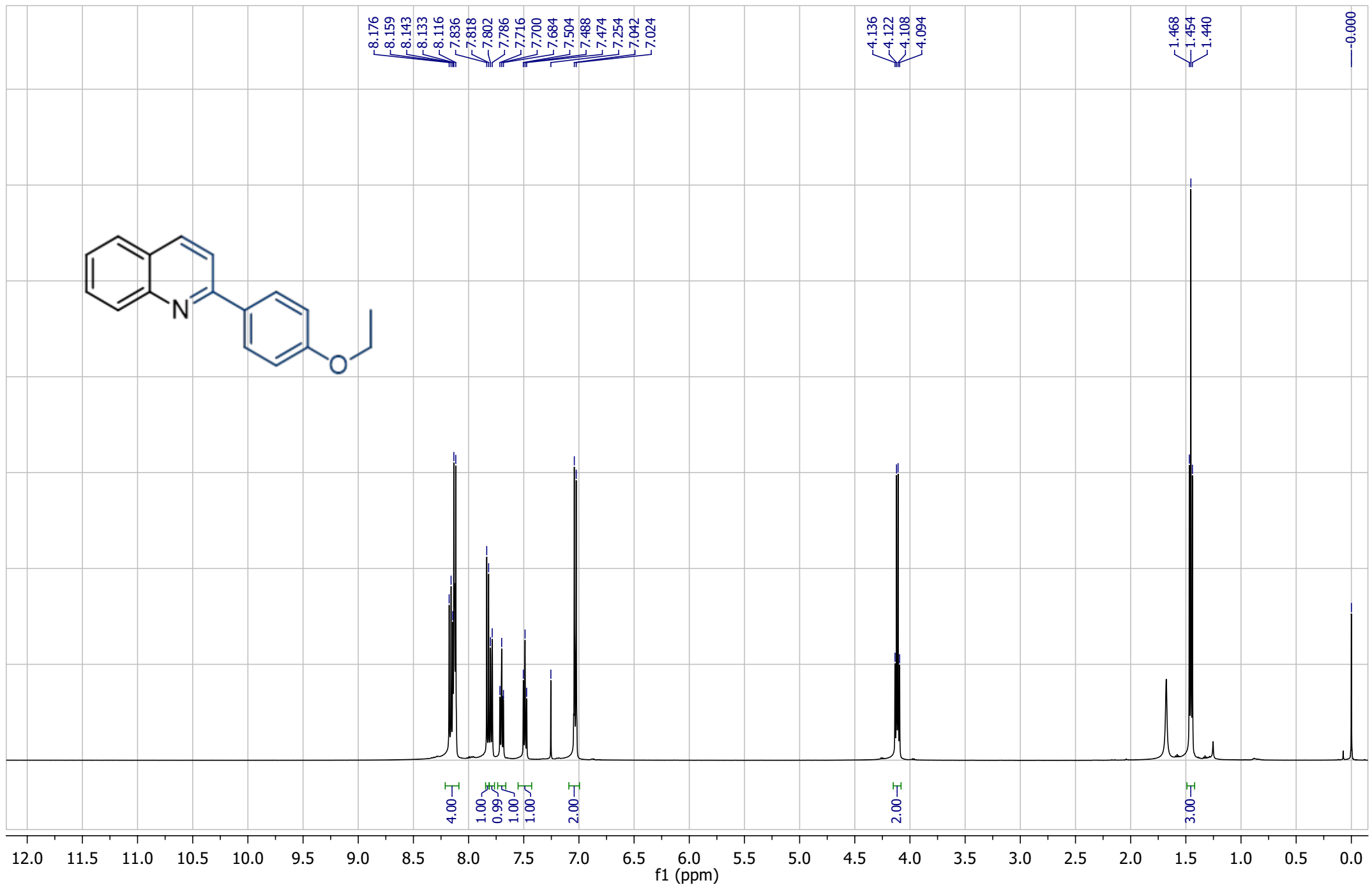
2-(3-(trifluoromethyl)phenyl)quinoline (6i)



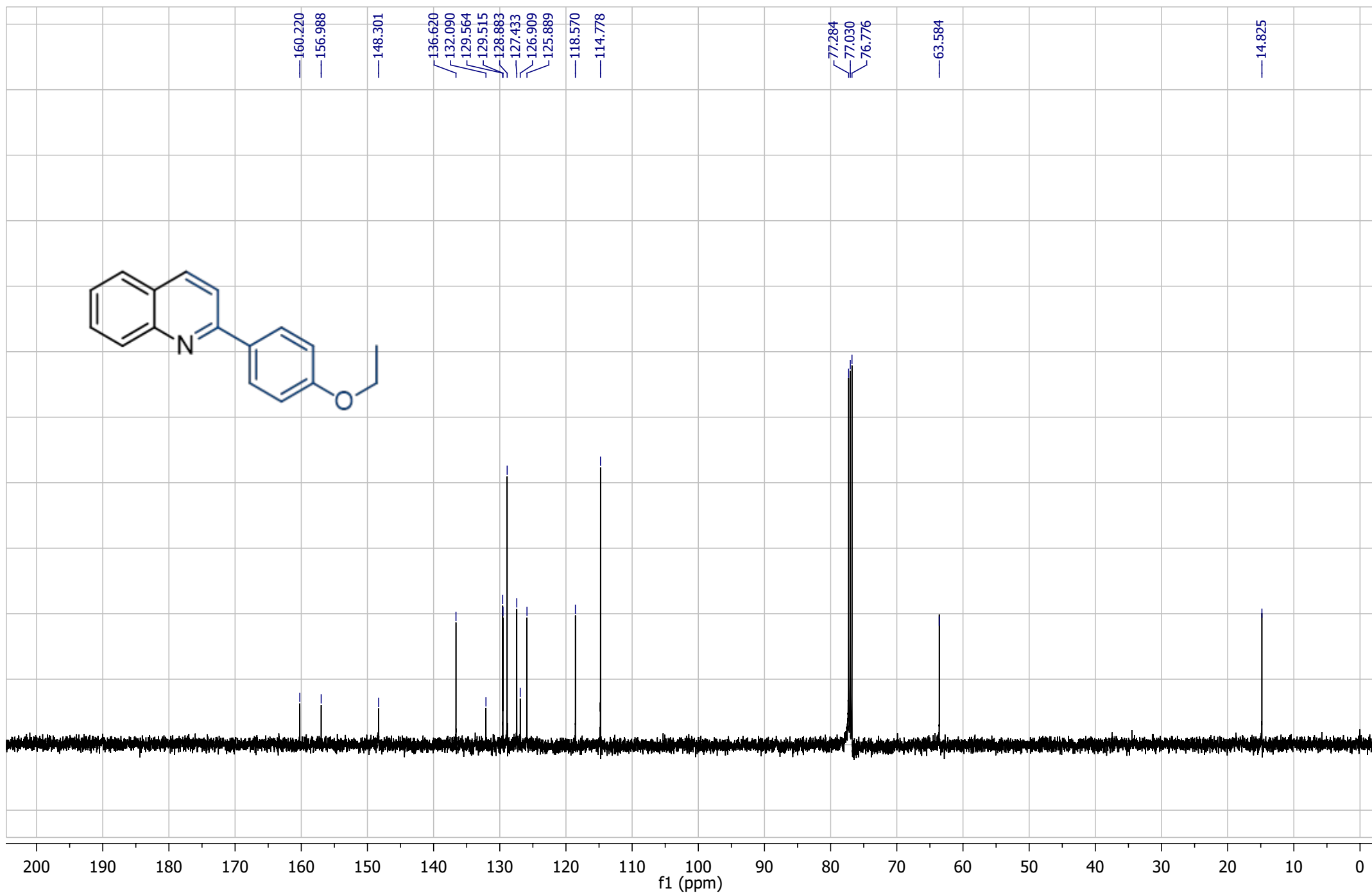
2-(4-methoxyphenyl)quinoline (6j)



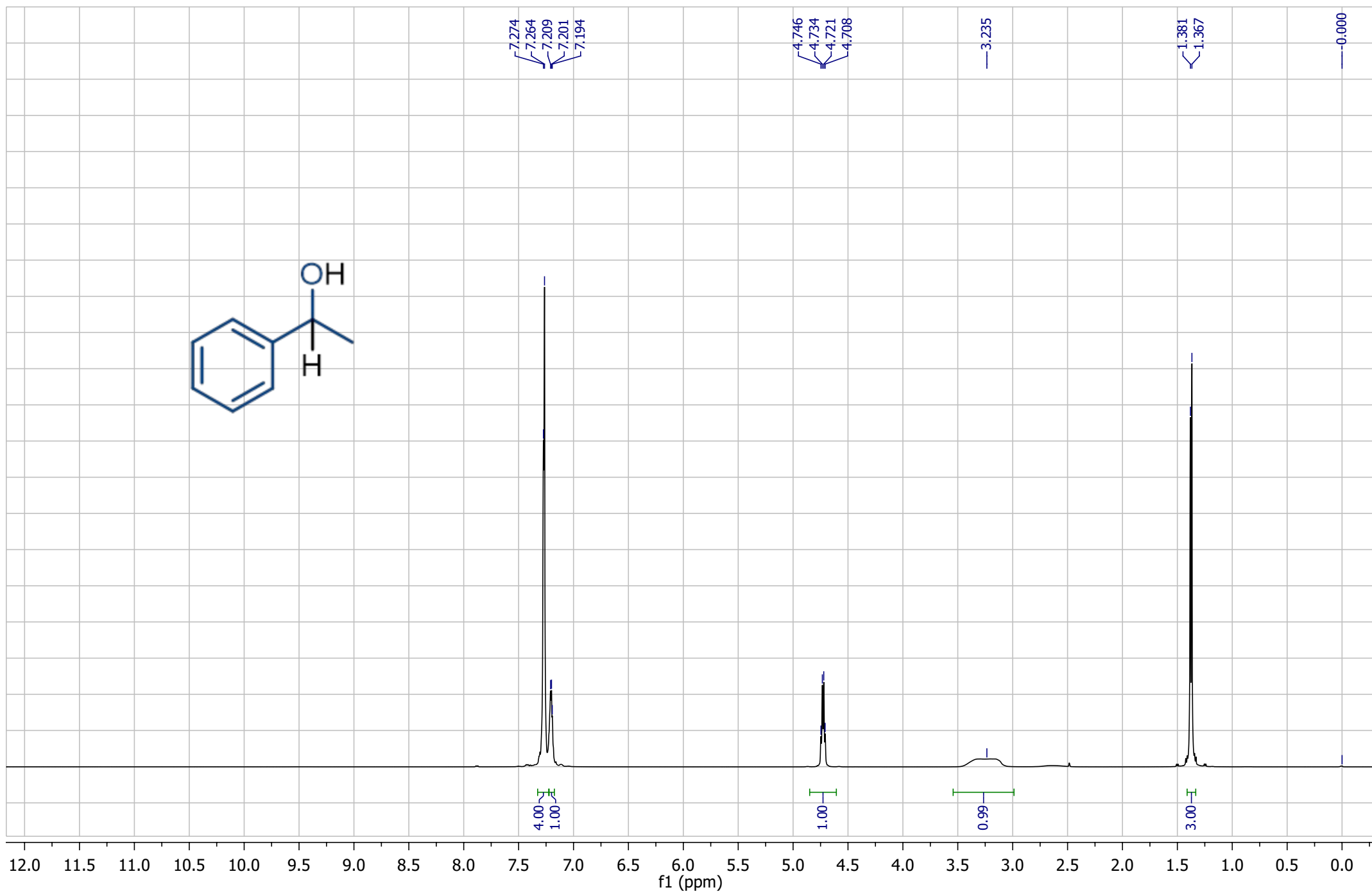
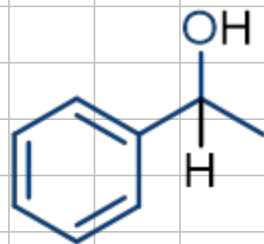
2-(4-methoxyphenyl)quinoline (6j)



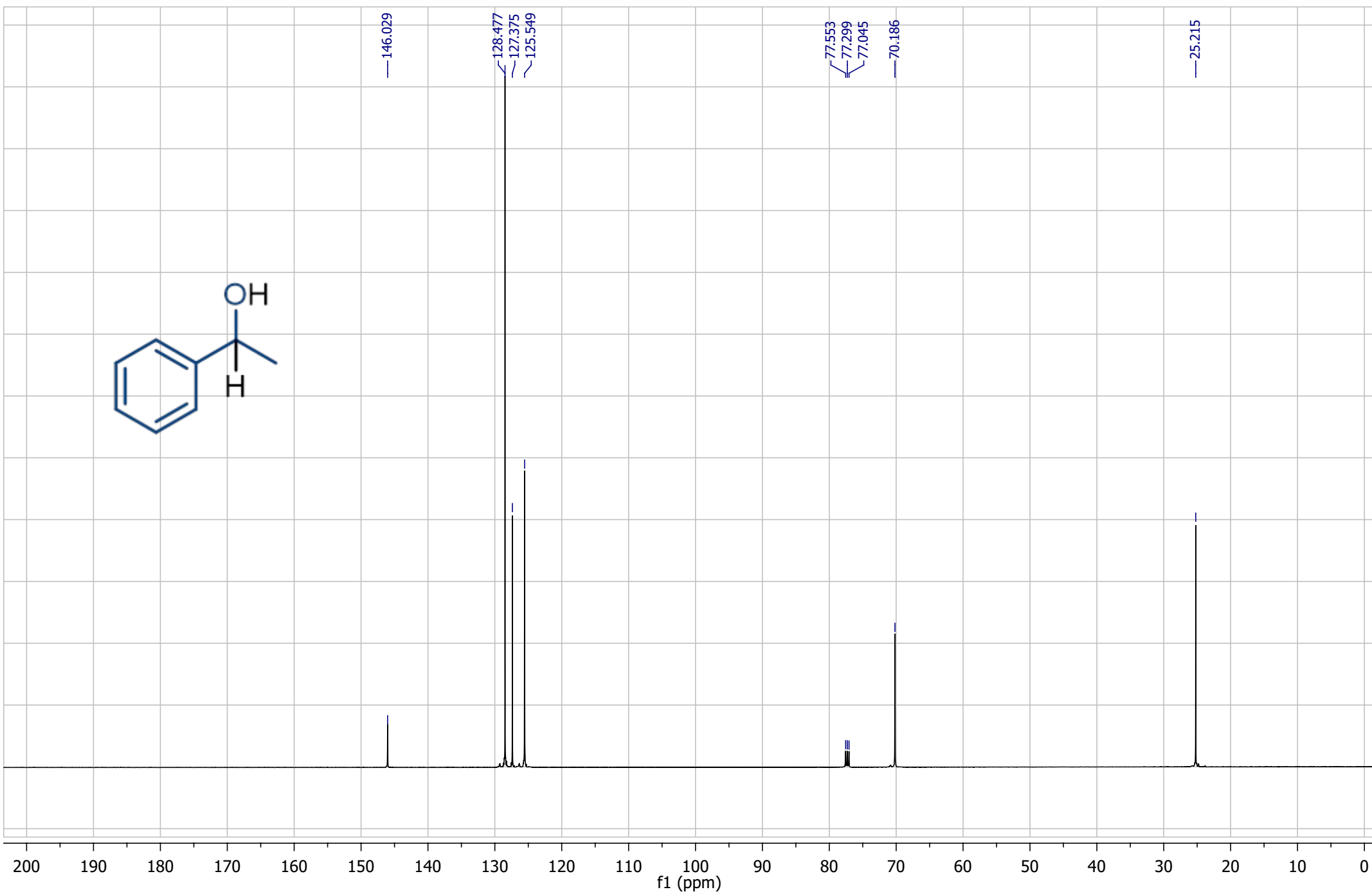
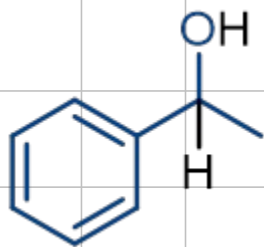
2-(4-ethoxyphenyl)quinoline (6k)



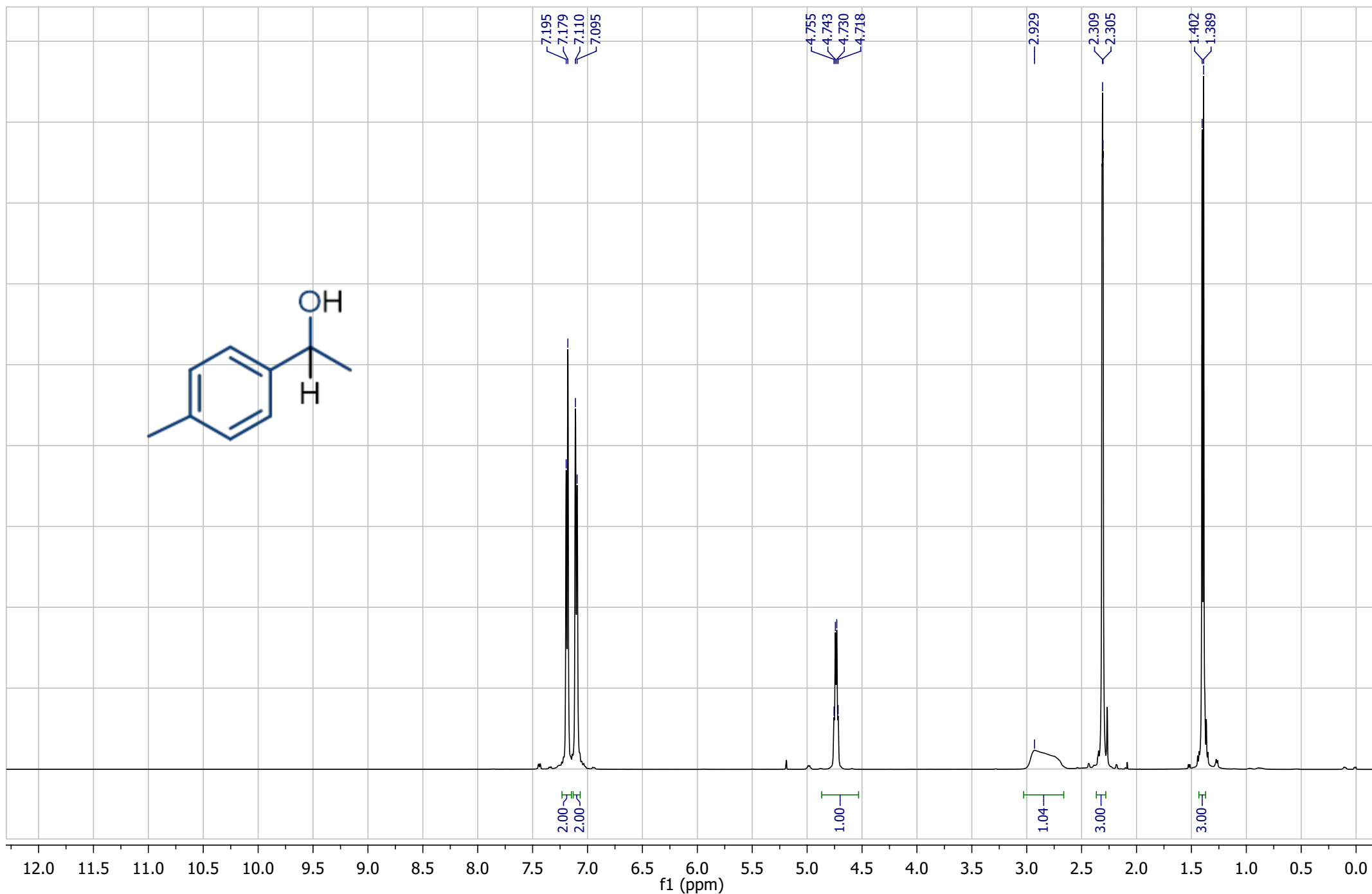
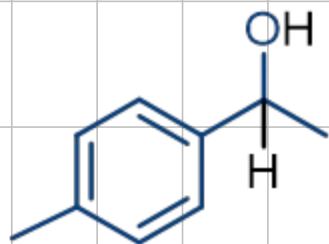
2-(4-ethoxyphenyl)quinoline (6k)



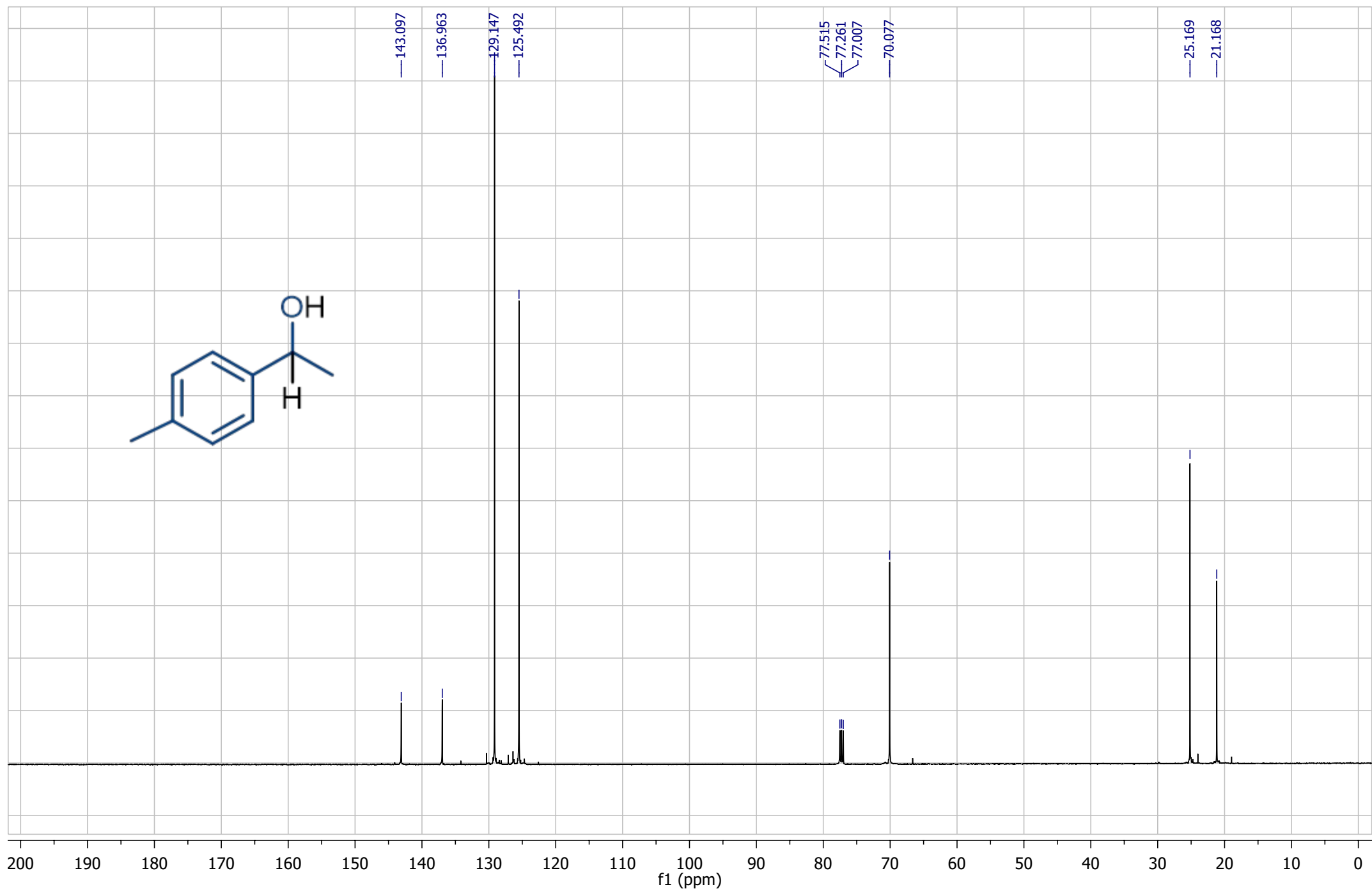
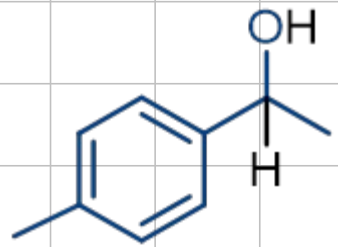
1-phenylethanol (7a)



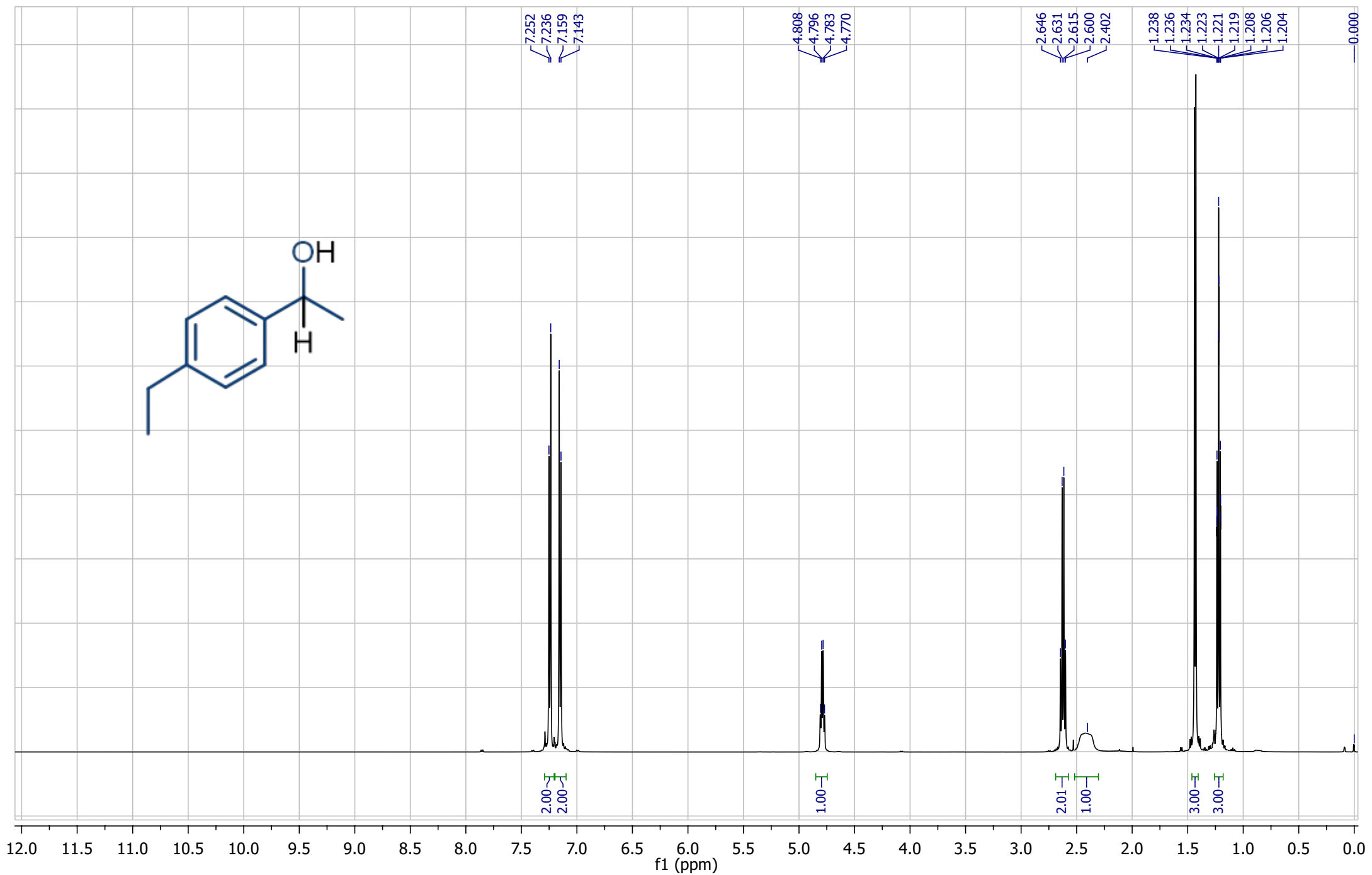
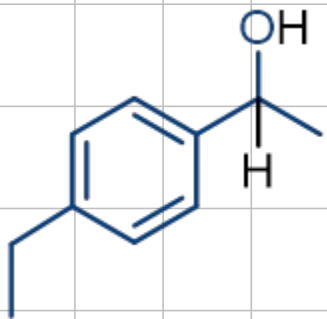
1-phenylethanol (7a)



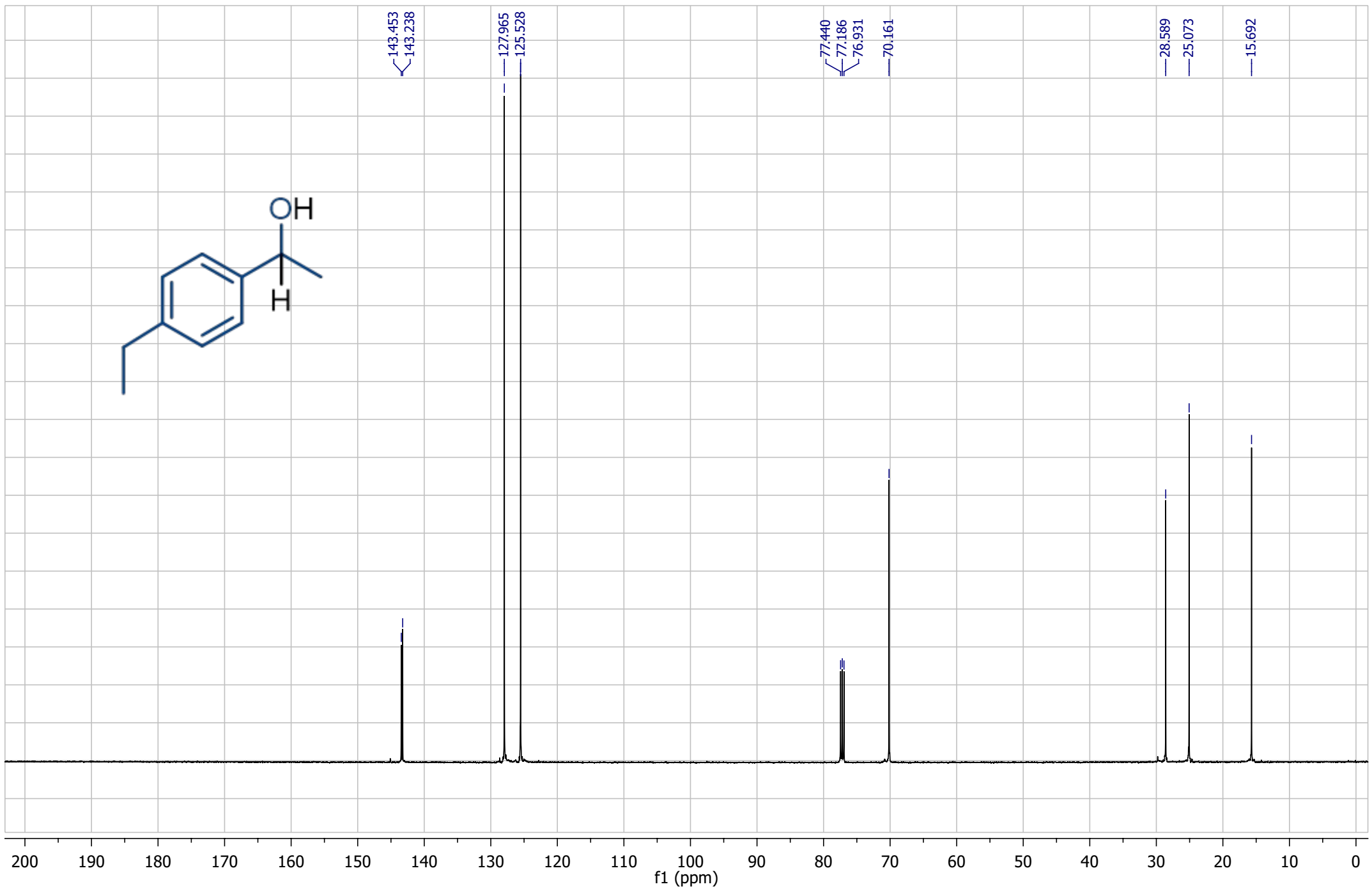
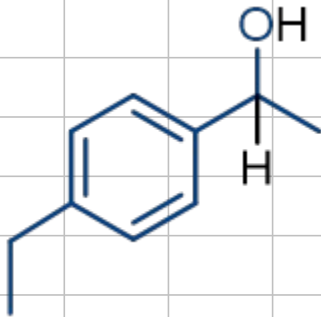
1-(p-tolyl)ethanol (7b)



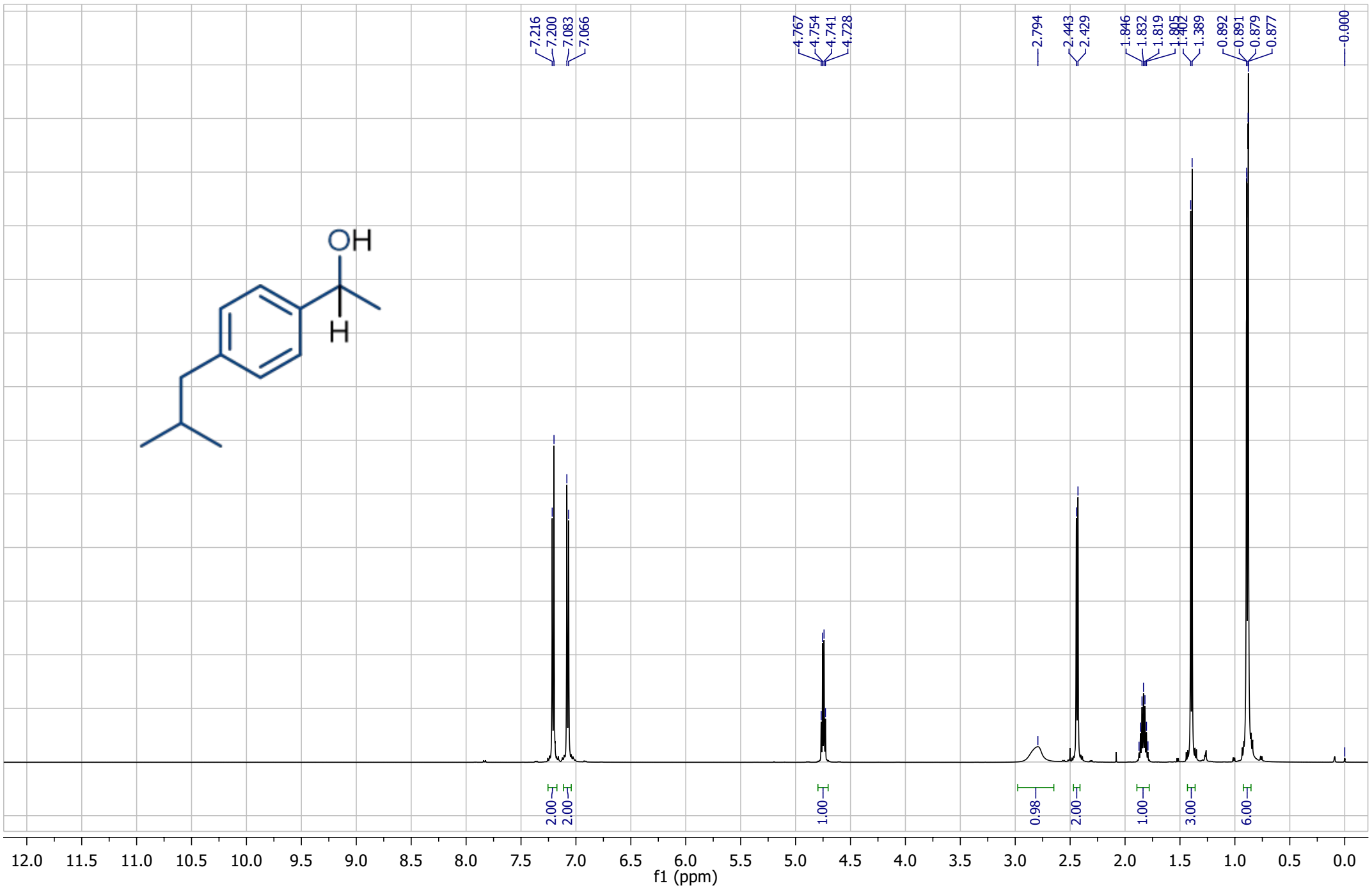
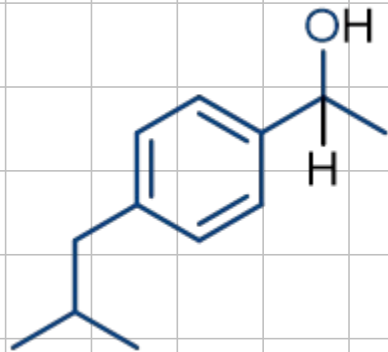
1-(p-tolyl)ethanol (7b)



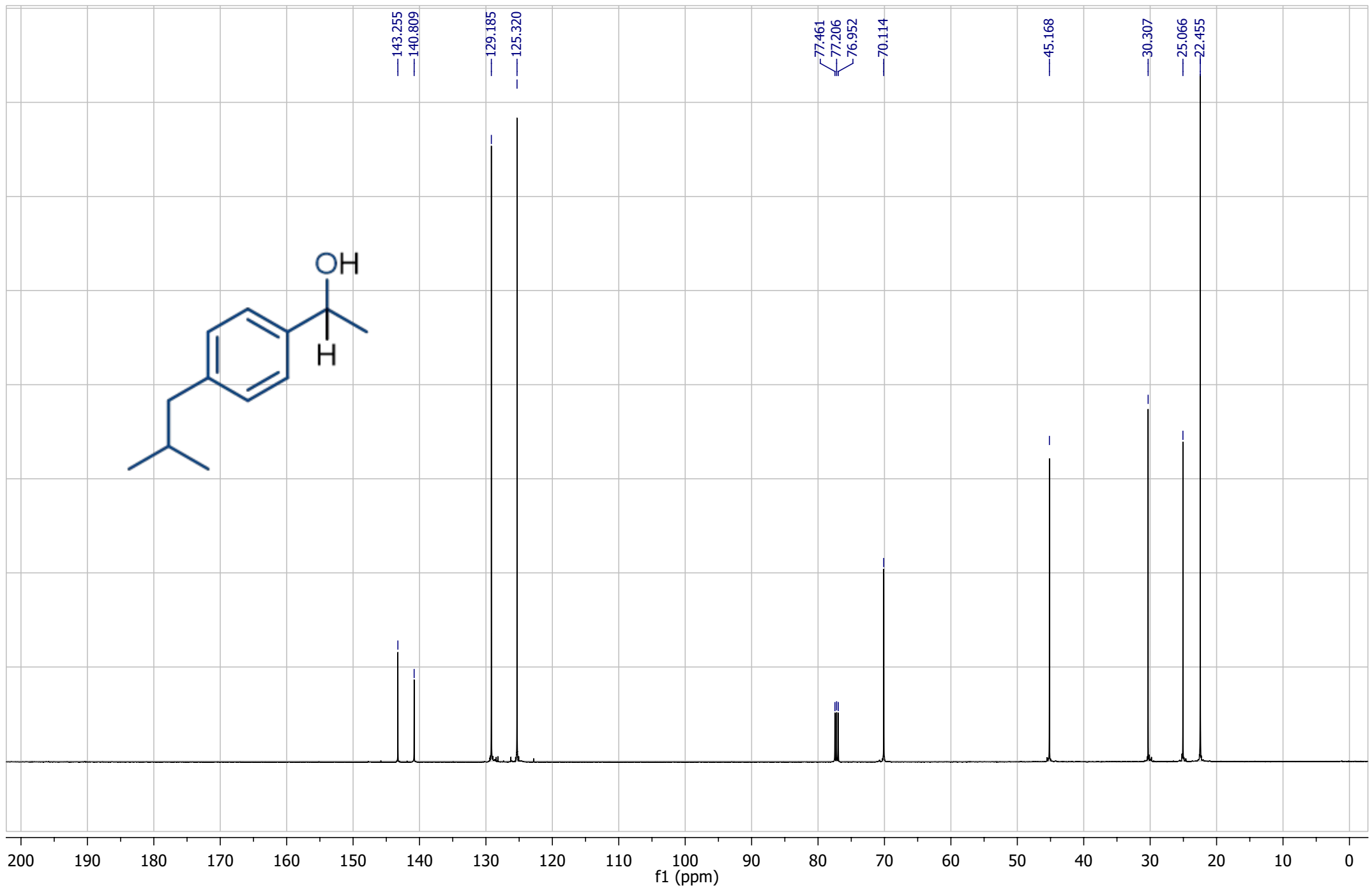
1-(4-ethylphenyl)ethanol (7c)



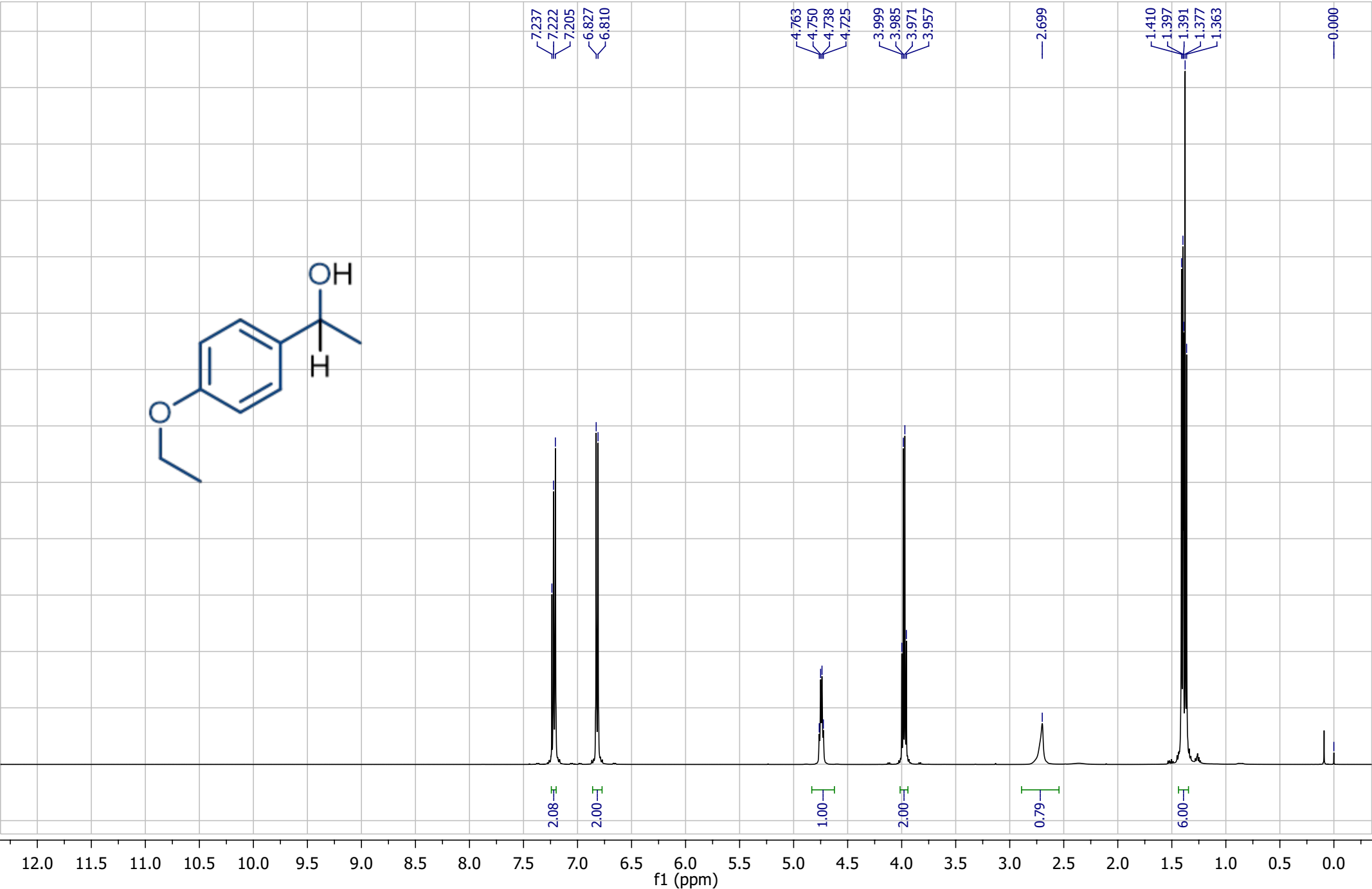
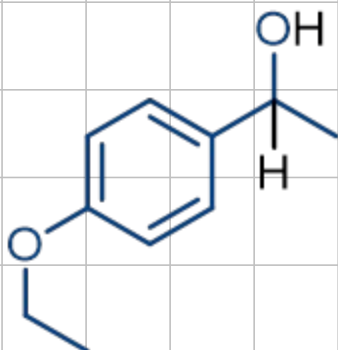
1-(4-ethylphenyl)ethanol (7c)



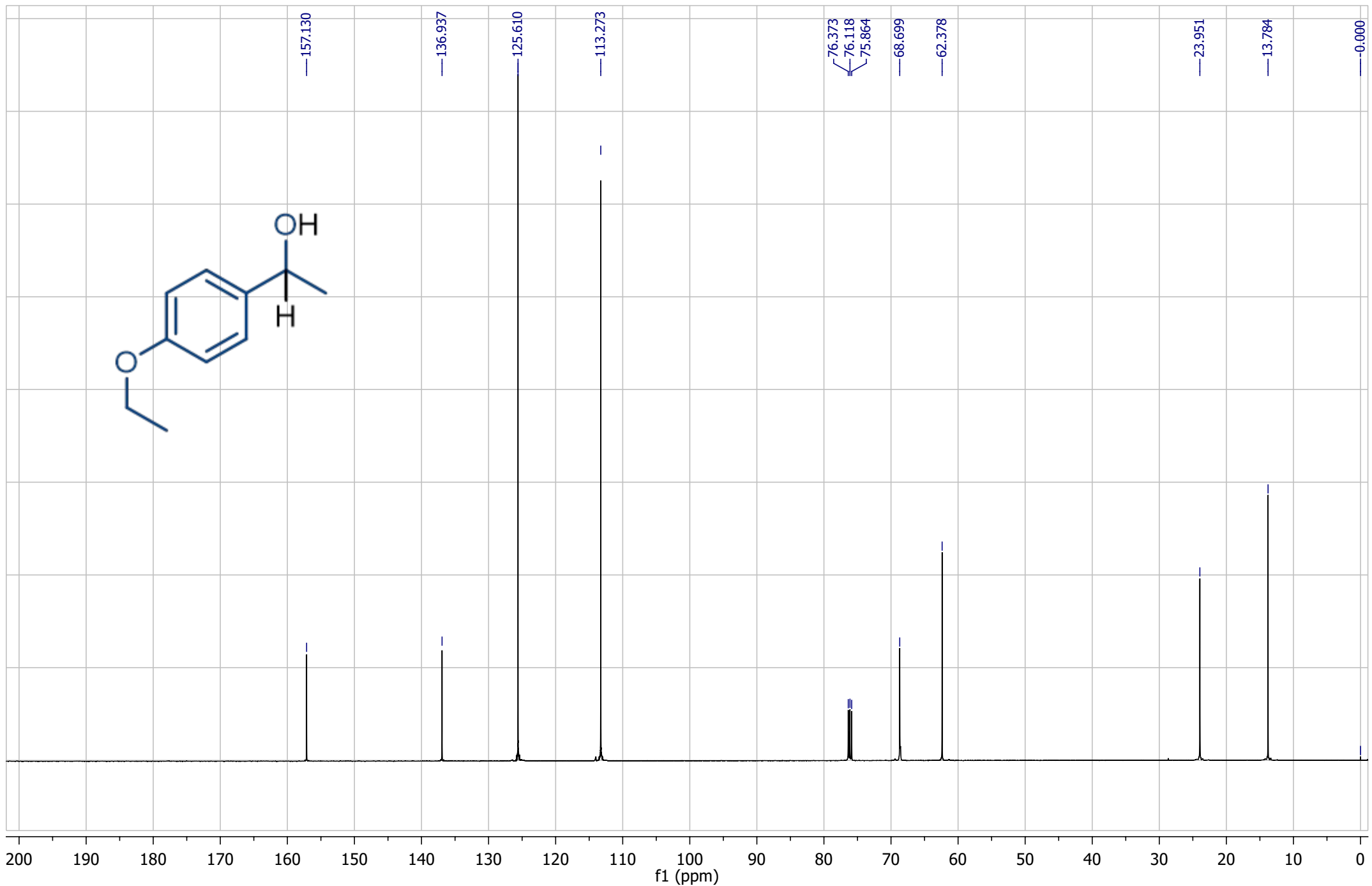
1-(4-isobutylphenyl)ethanol (7d)



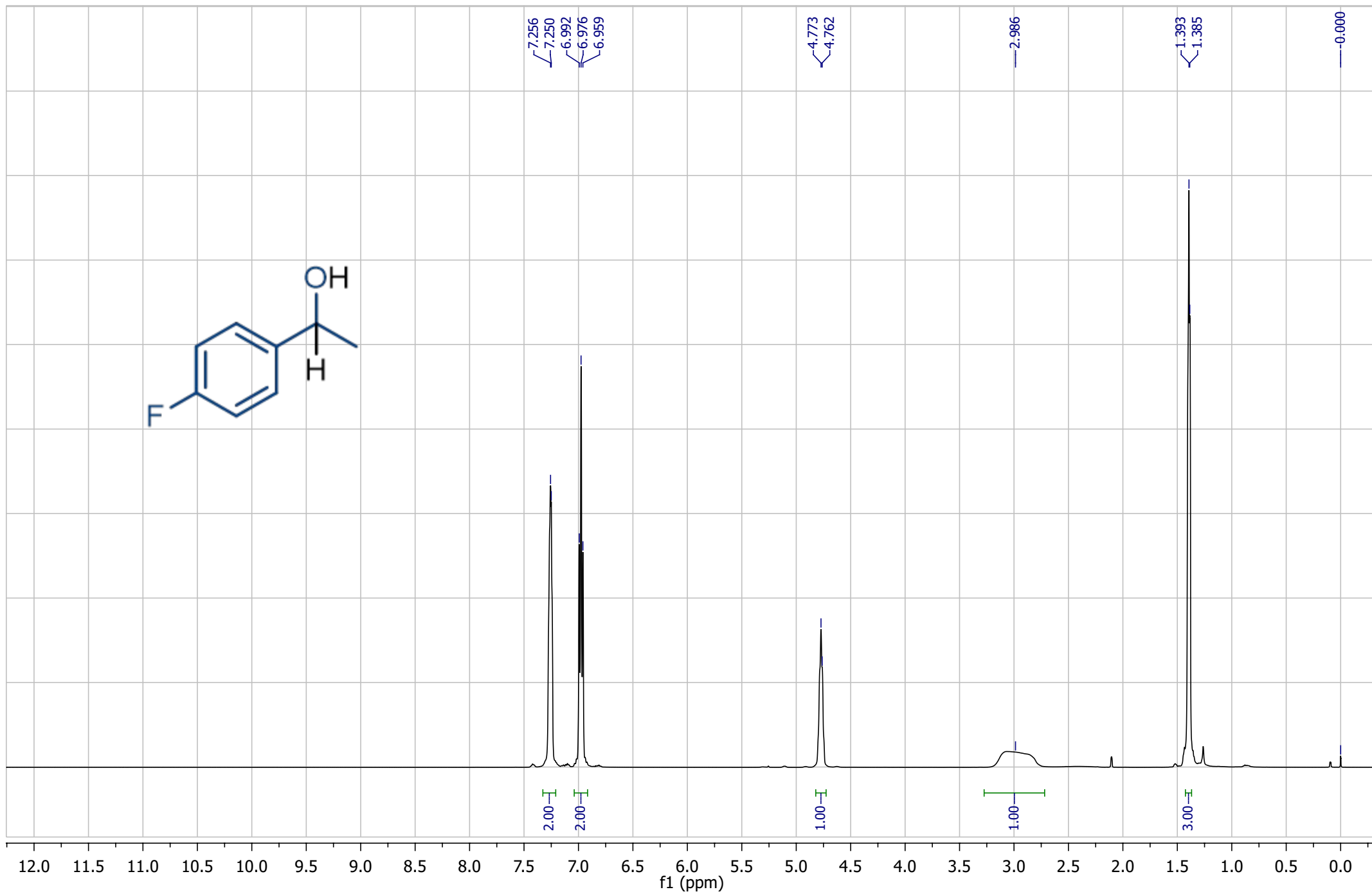
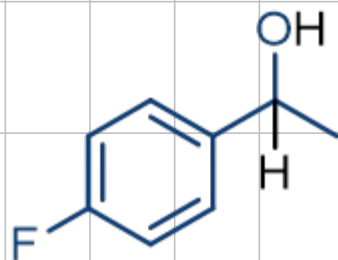
1-(4-isobutylphenyl)ethanol (7d)



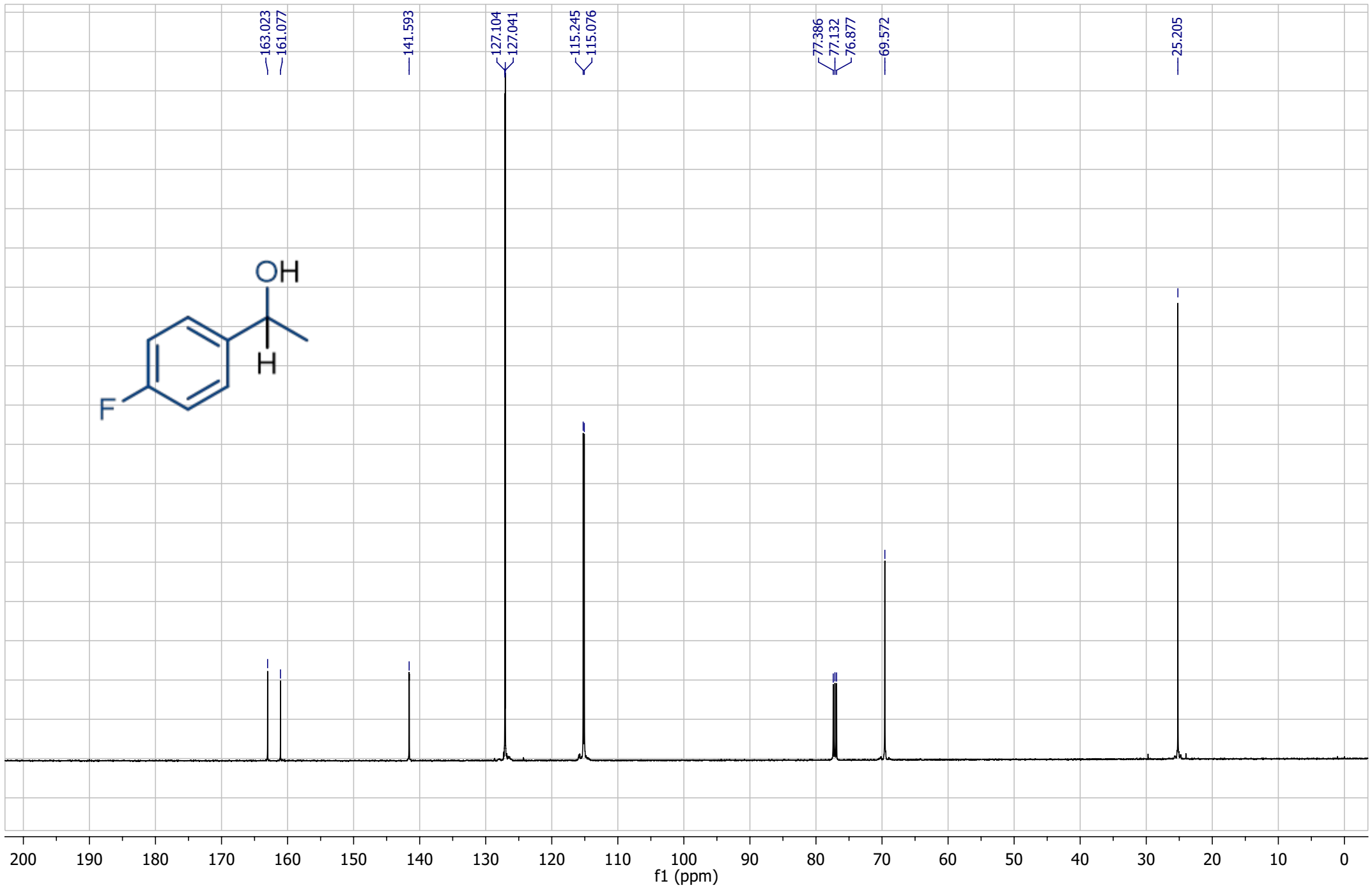
1-(4-ethoxyphenyl)ethanol (7e)



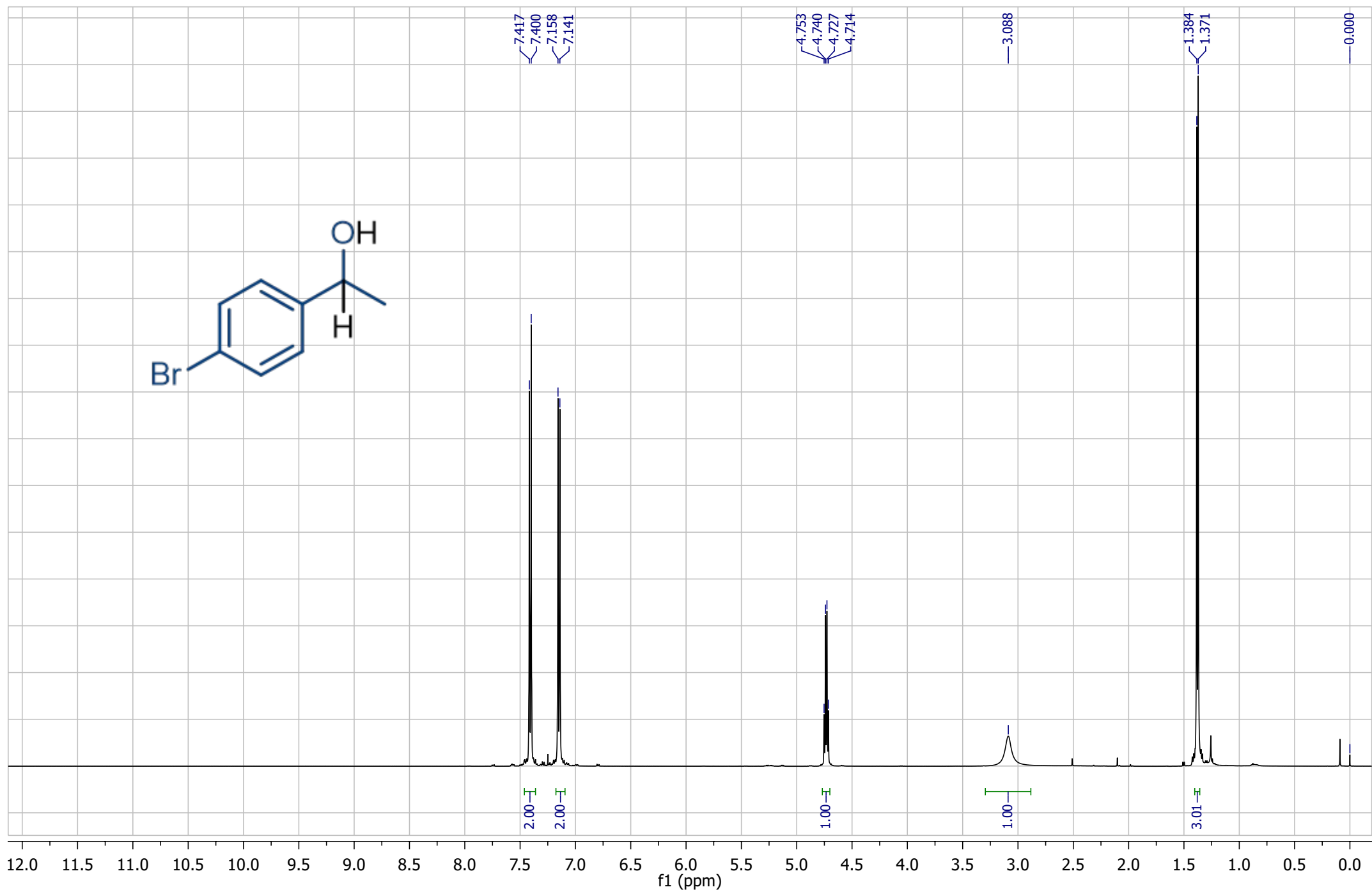
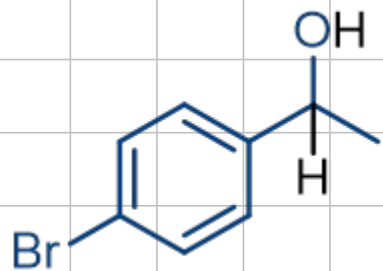
1-(4-ethoxyphenyl)ethanol (7e)



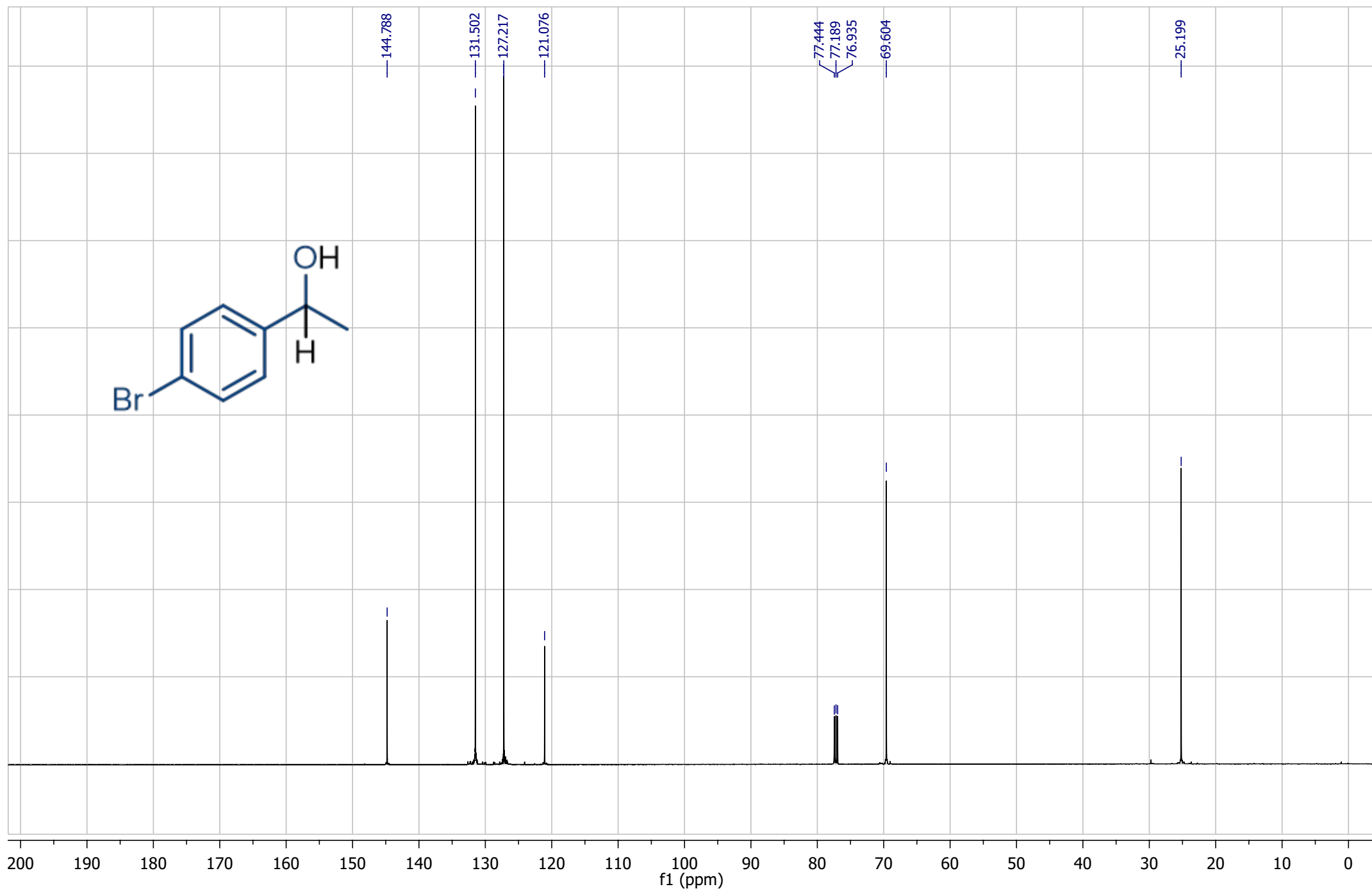
1-(4-fluorophenyl)ethanol (7f)



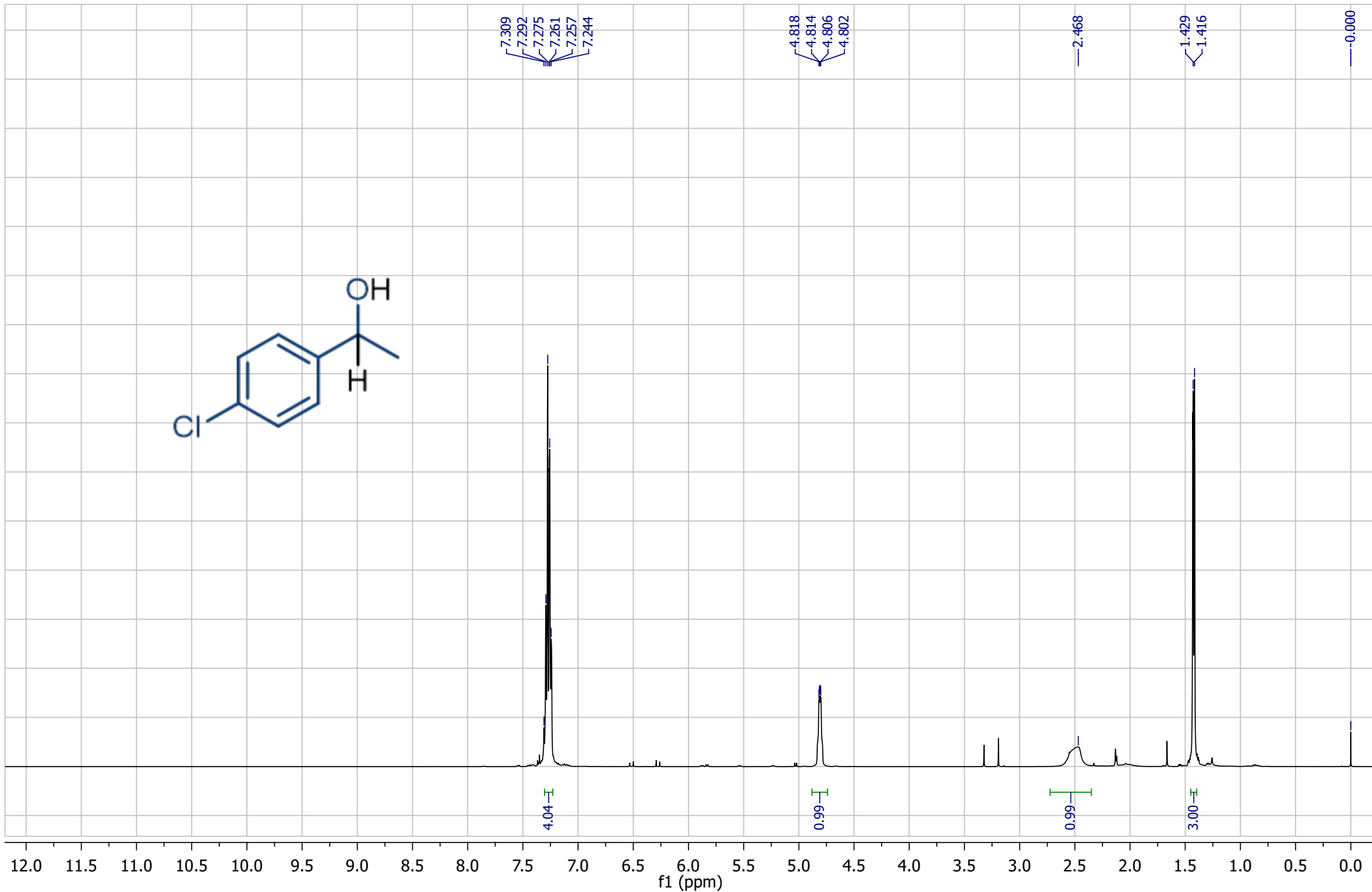
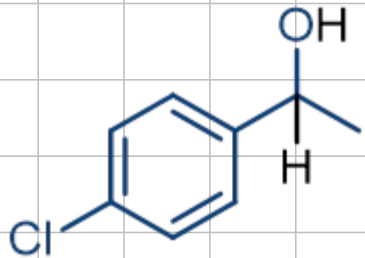
1-(4-fluorophenyl)ethanol (7f)



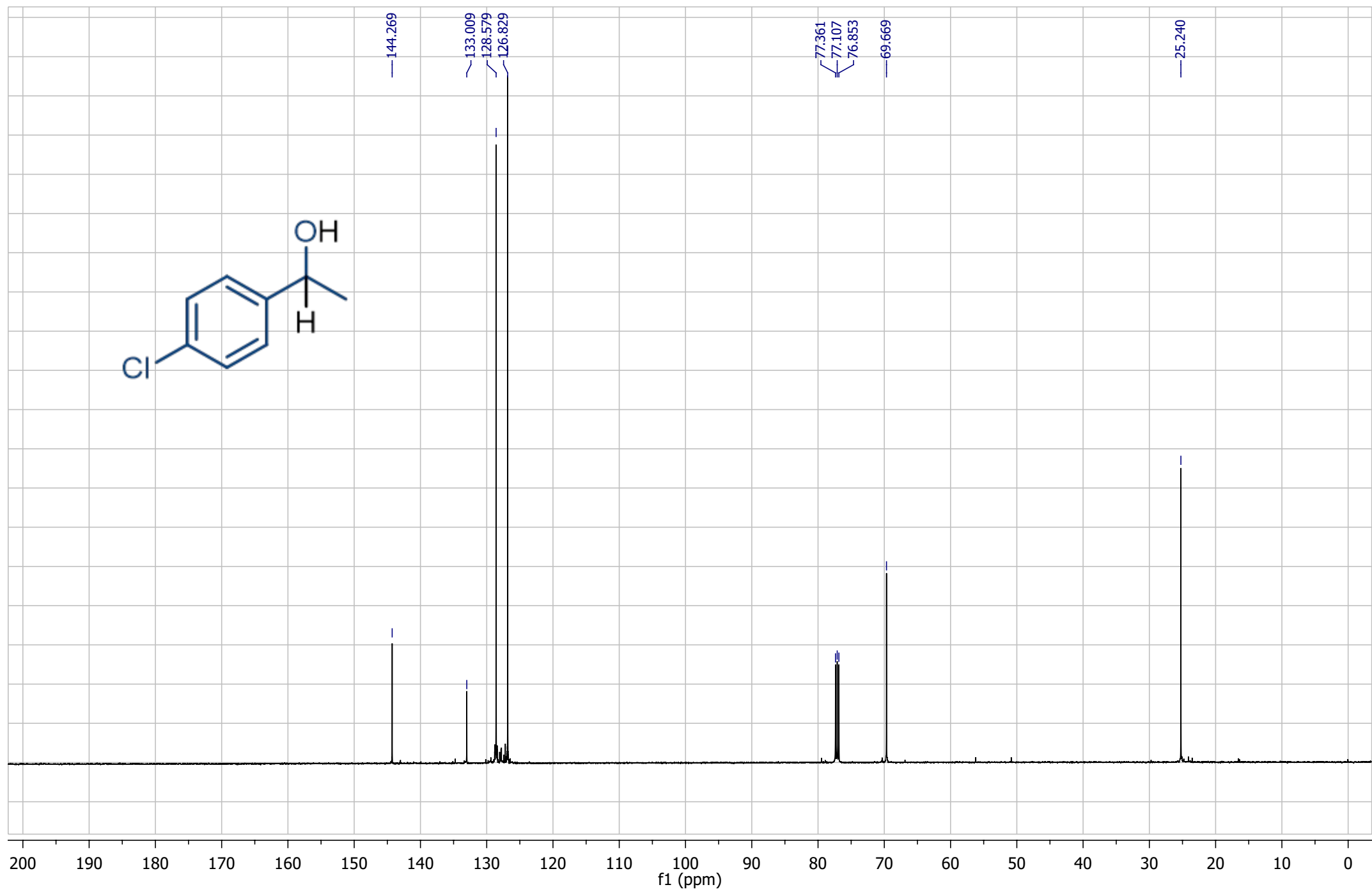
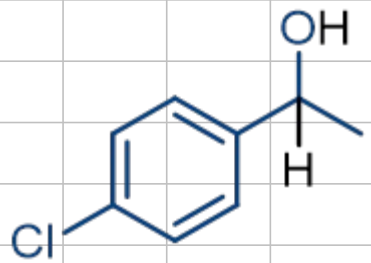
1-(4-bromophenyl)ethanol (7g)



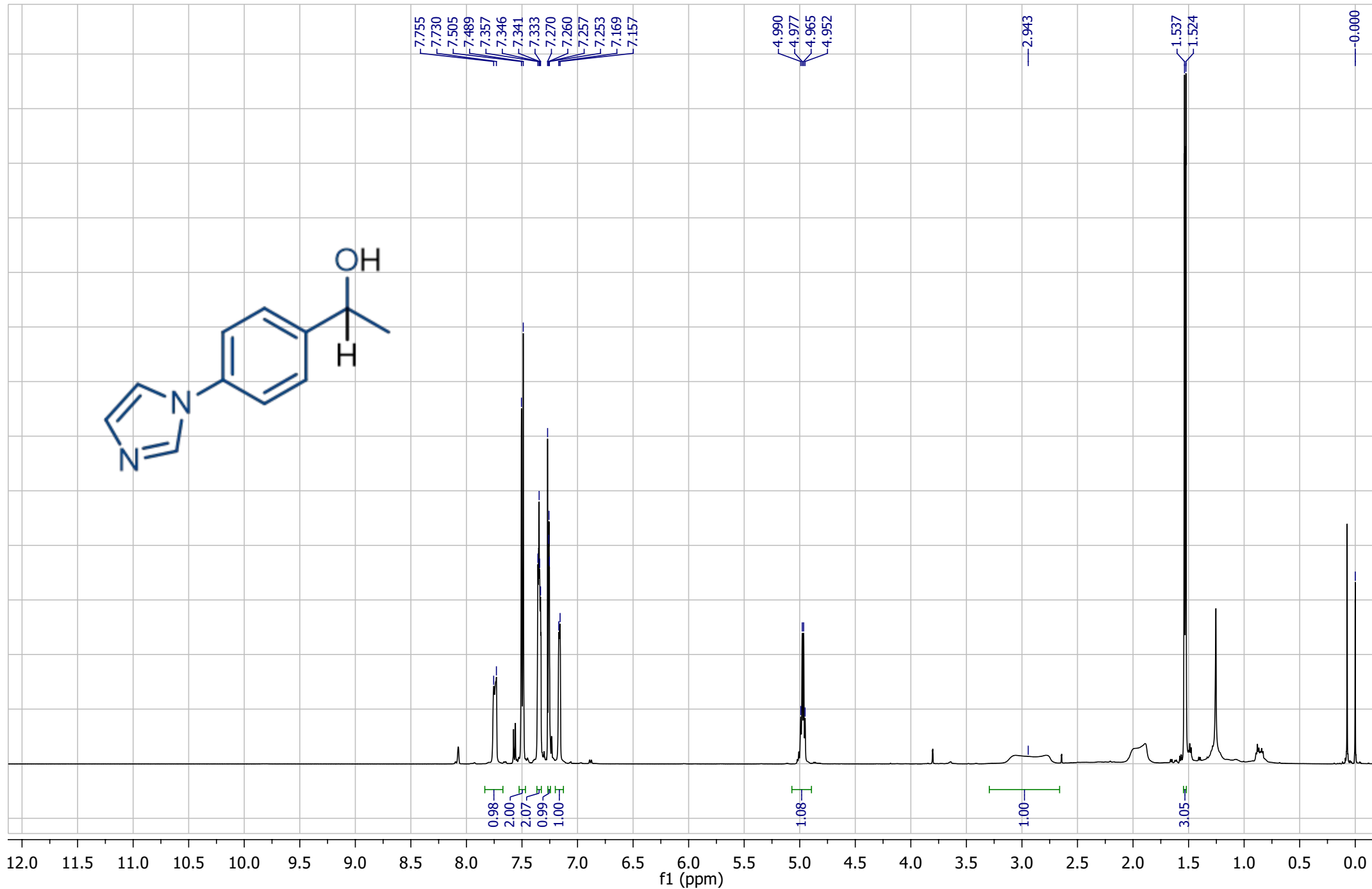
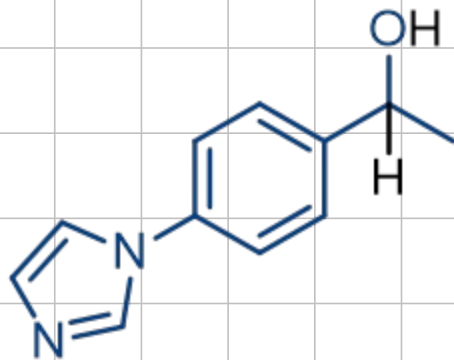
1-(4-bromophenyl)ethanol (7g)



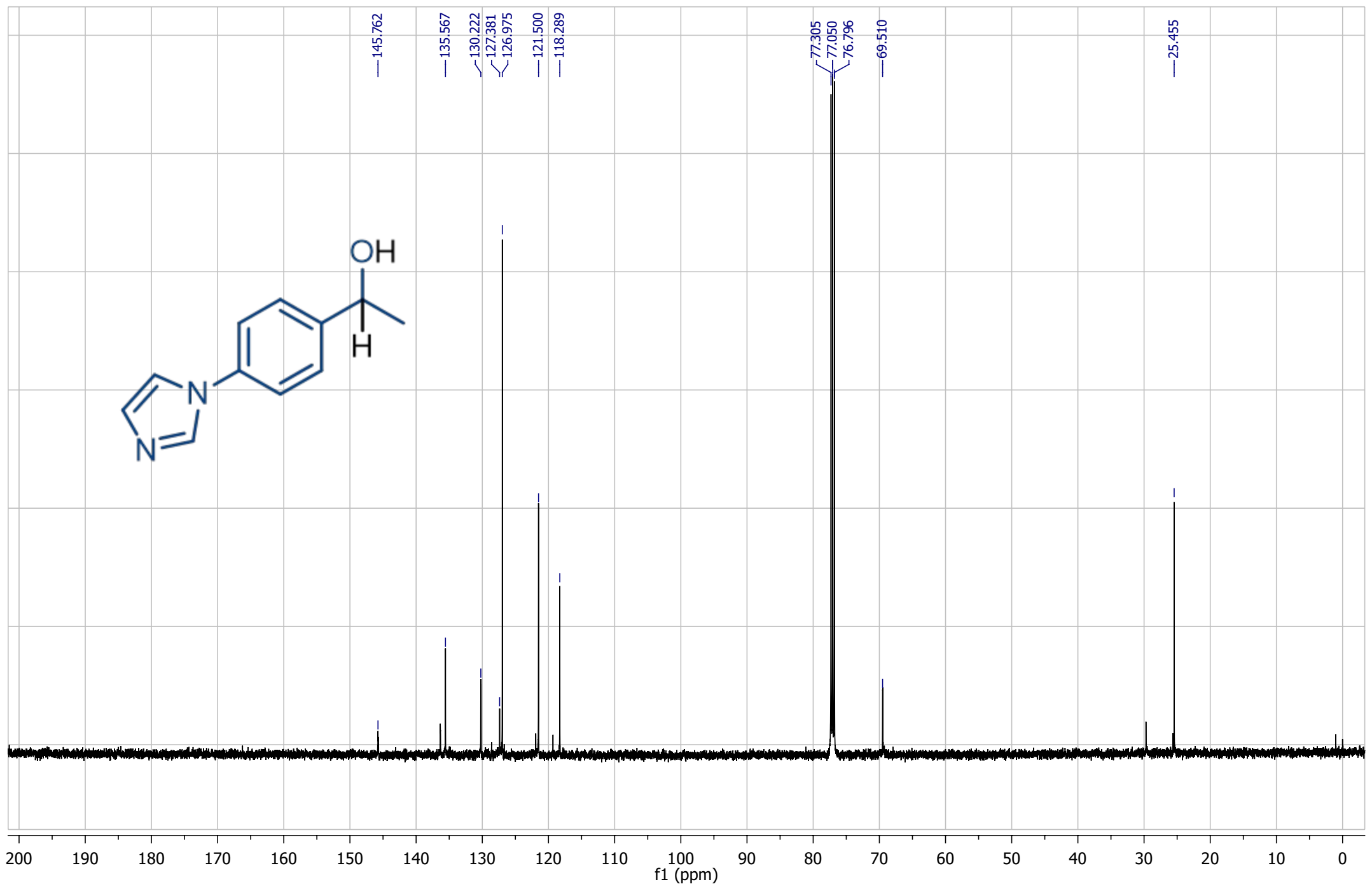
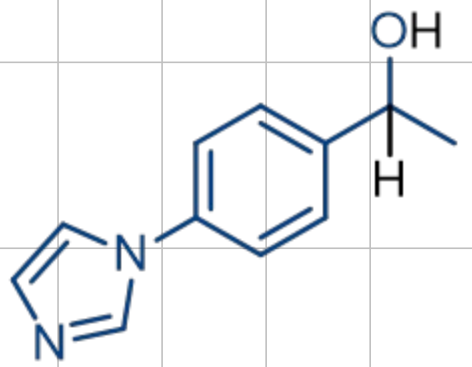
1-(4-chlorophenyl)ethanol (7h)



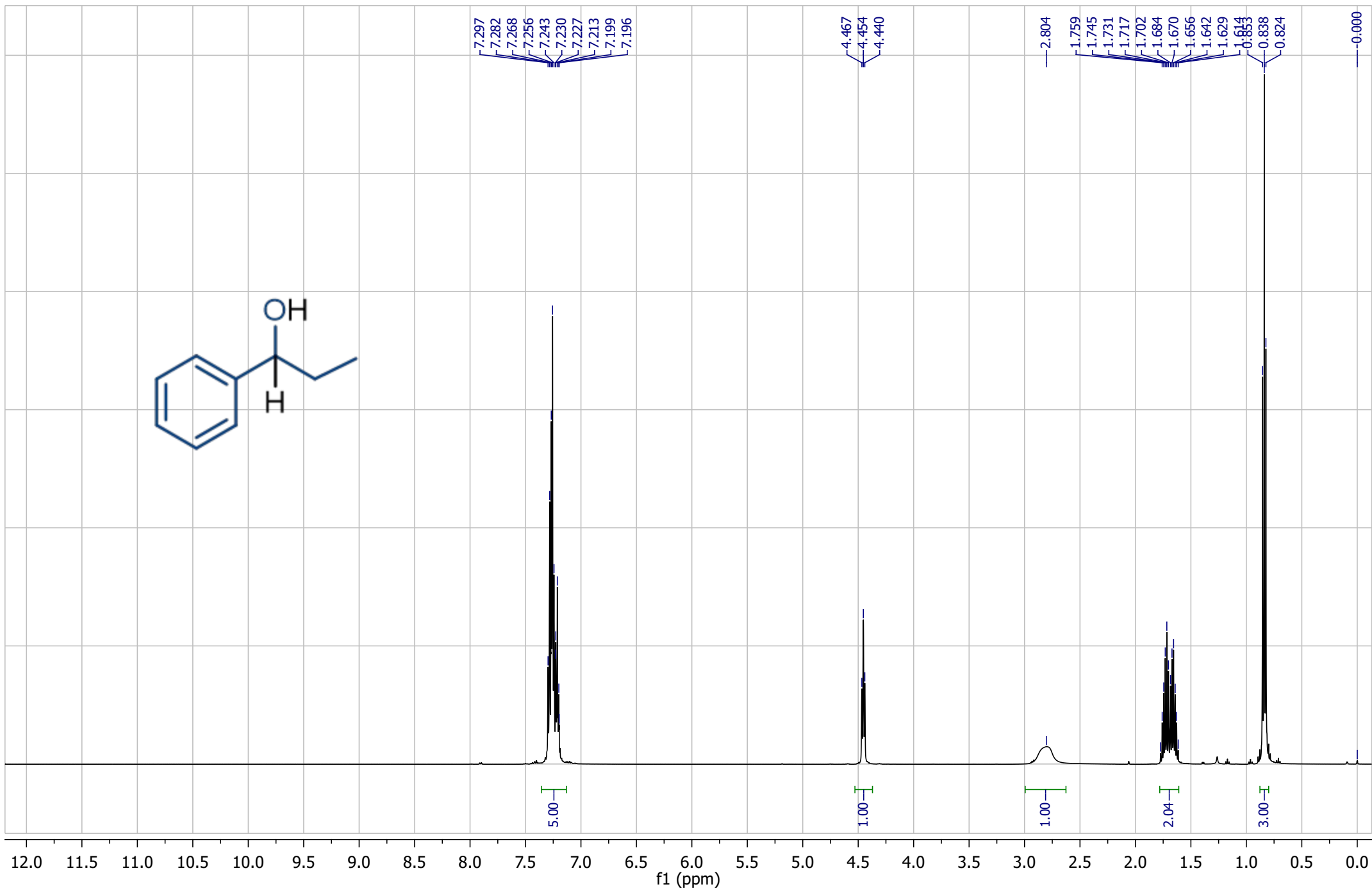
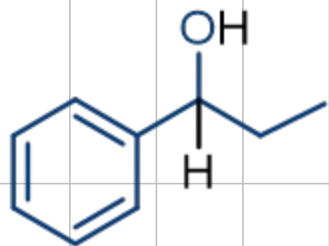
1-(4-chlorophenyl)ethanol (7h)



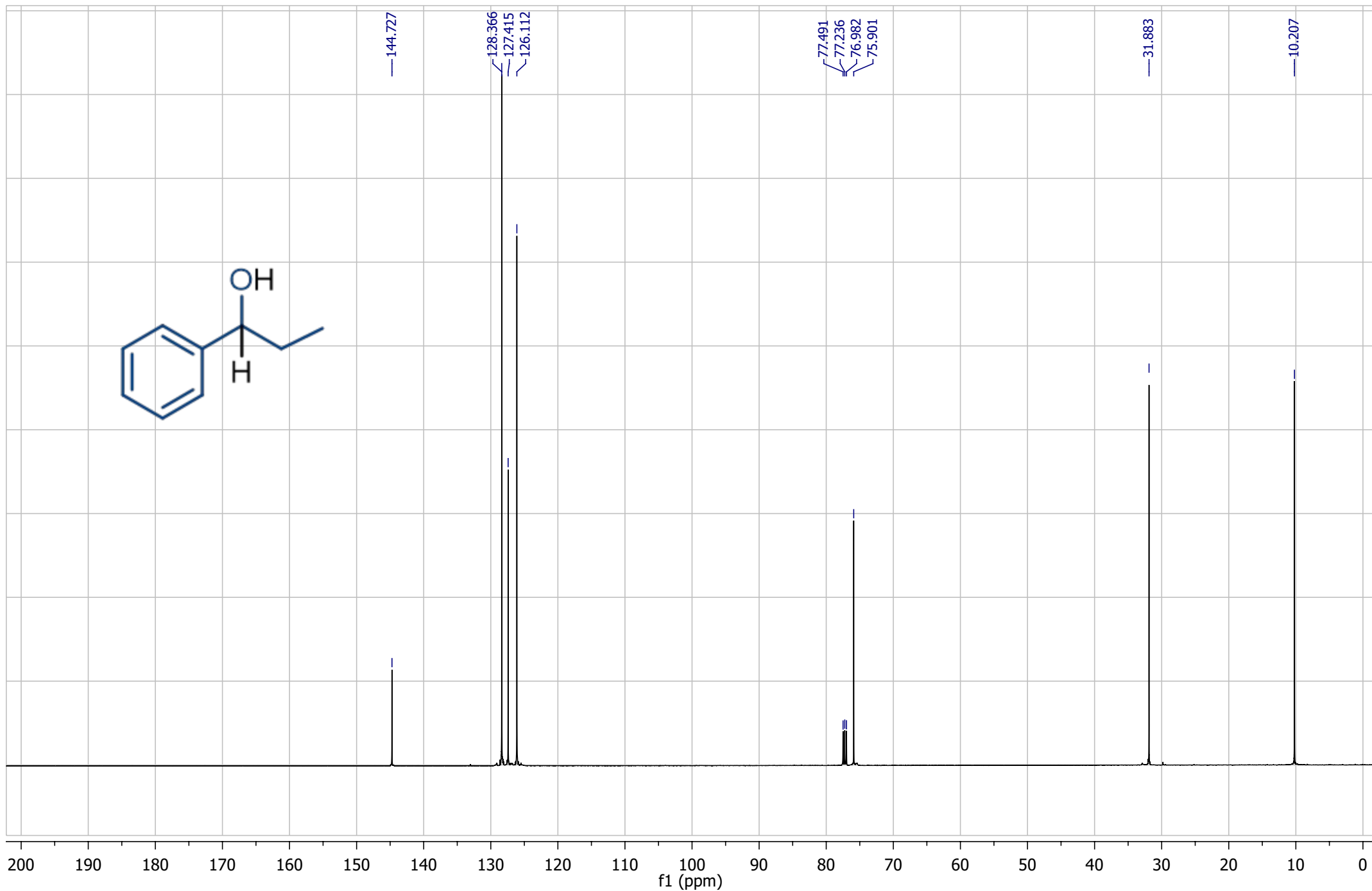
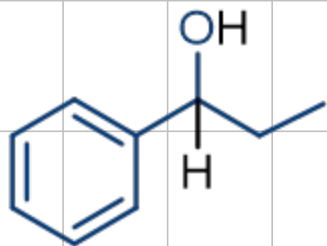
1-(4-(1H-imidazol-1-yl)phenyl)ethanol (7j)



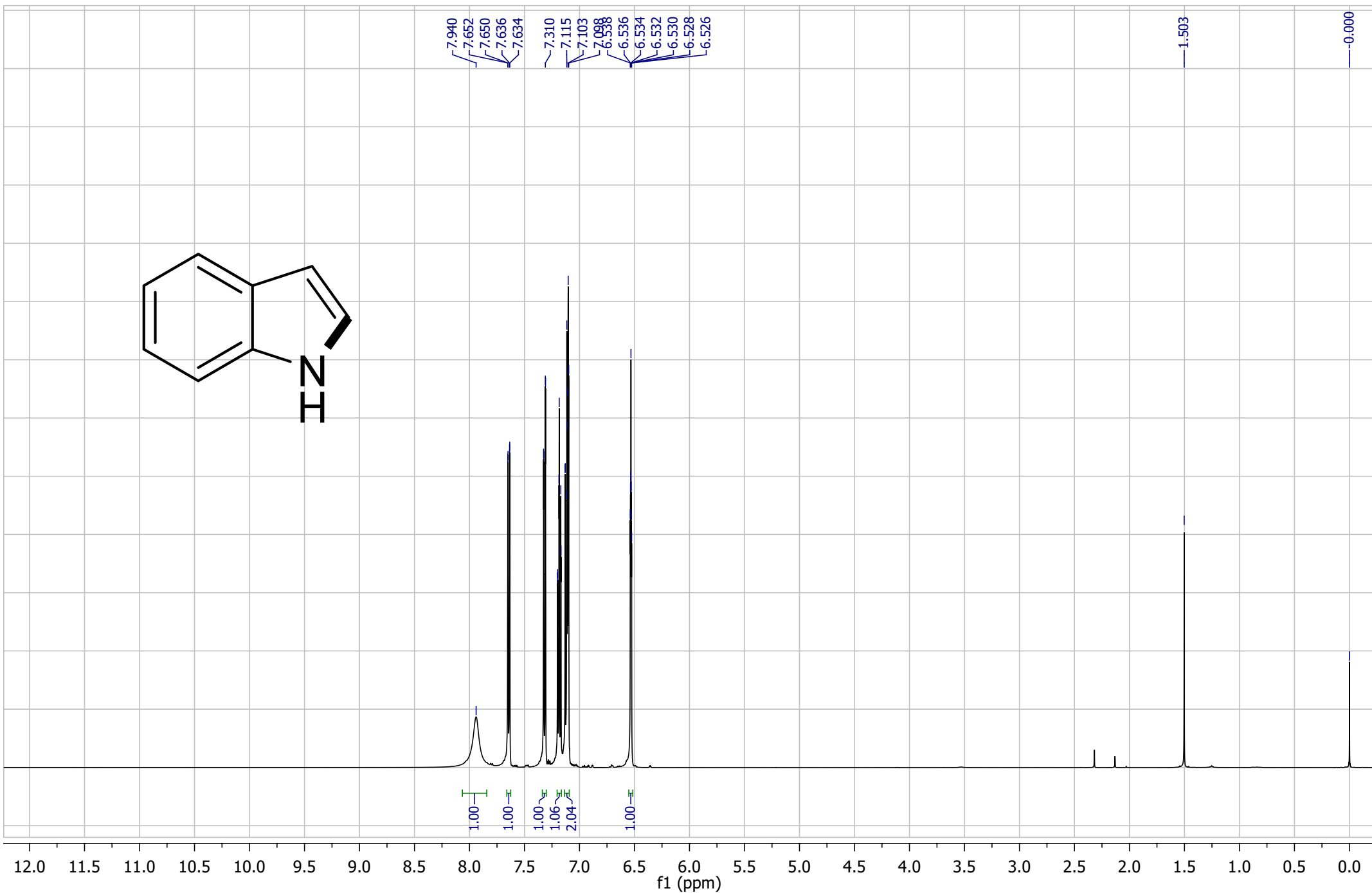
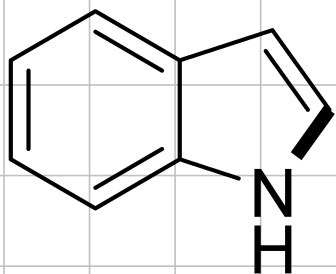
1-(4-(1H-imidazol-1-yl)phenyl)ethanol (7j)



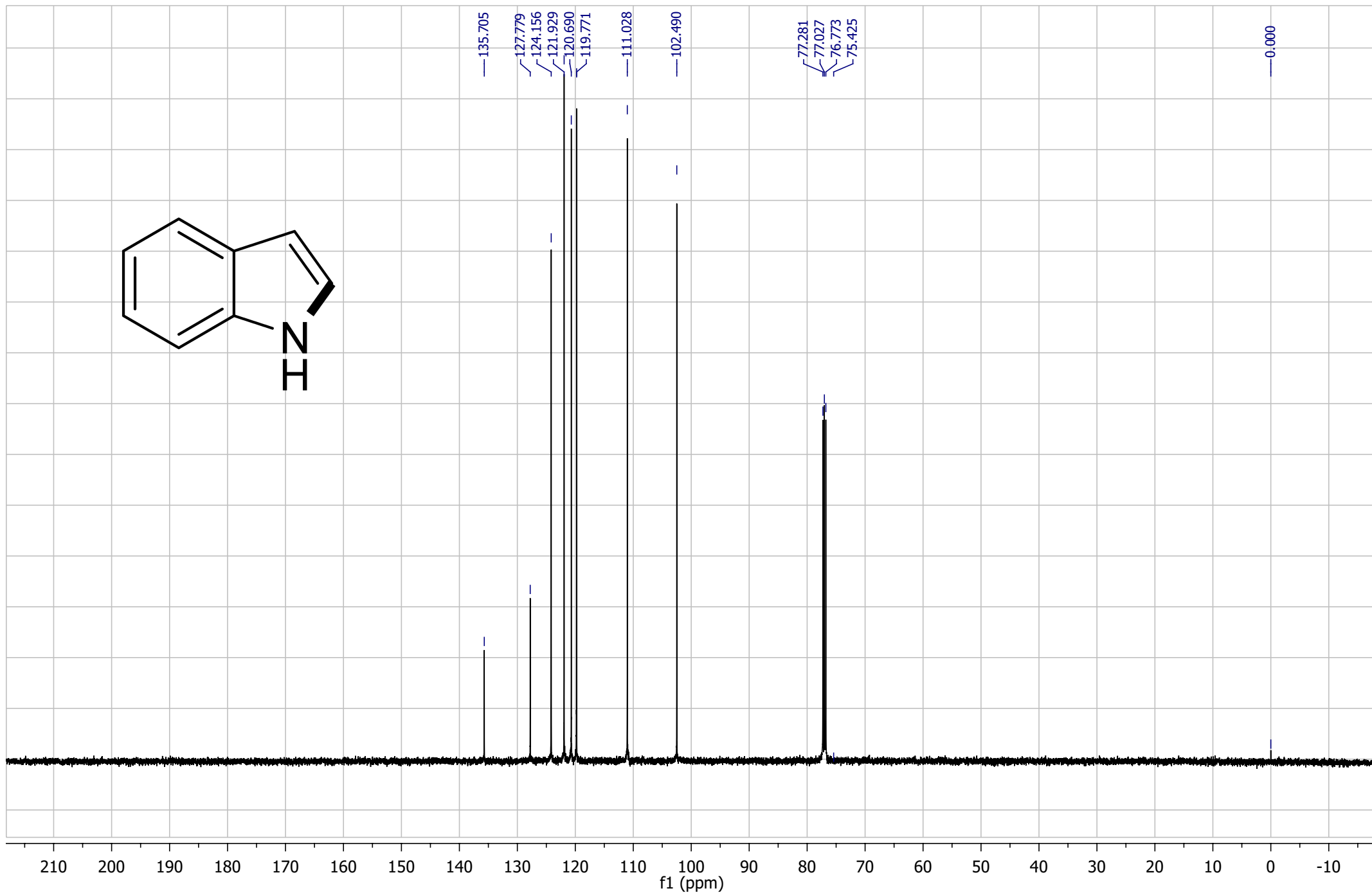
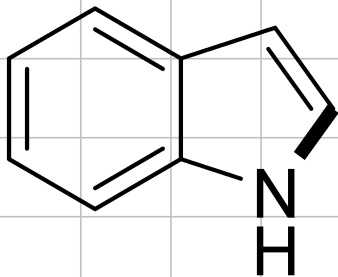
1-phenylpropan-1-ol (7k)



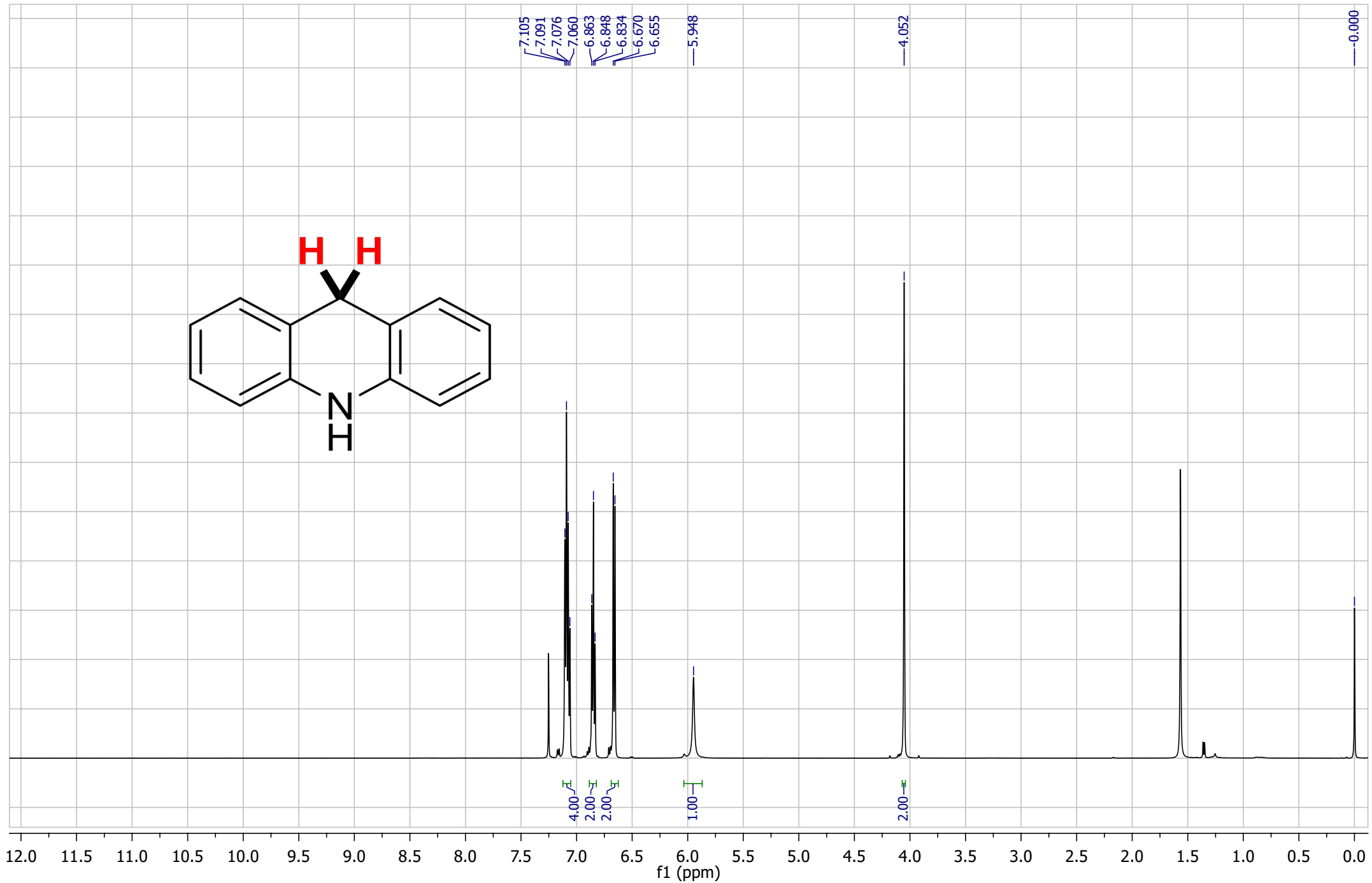
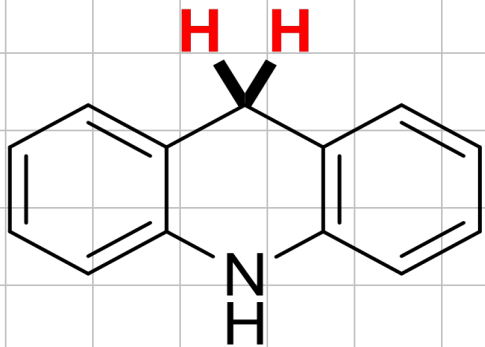
1-phenylpropan-1-ol (7k)



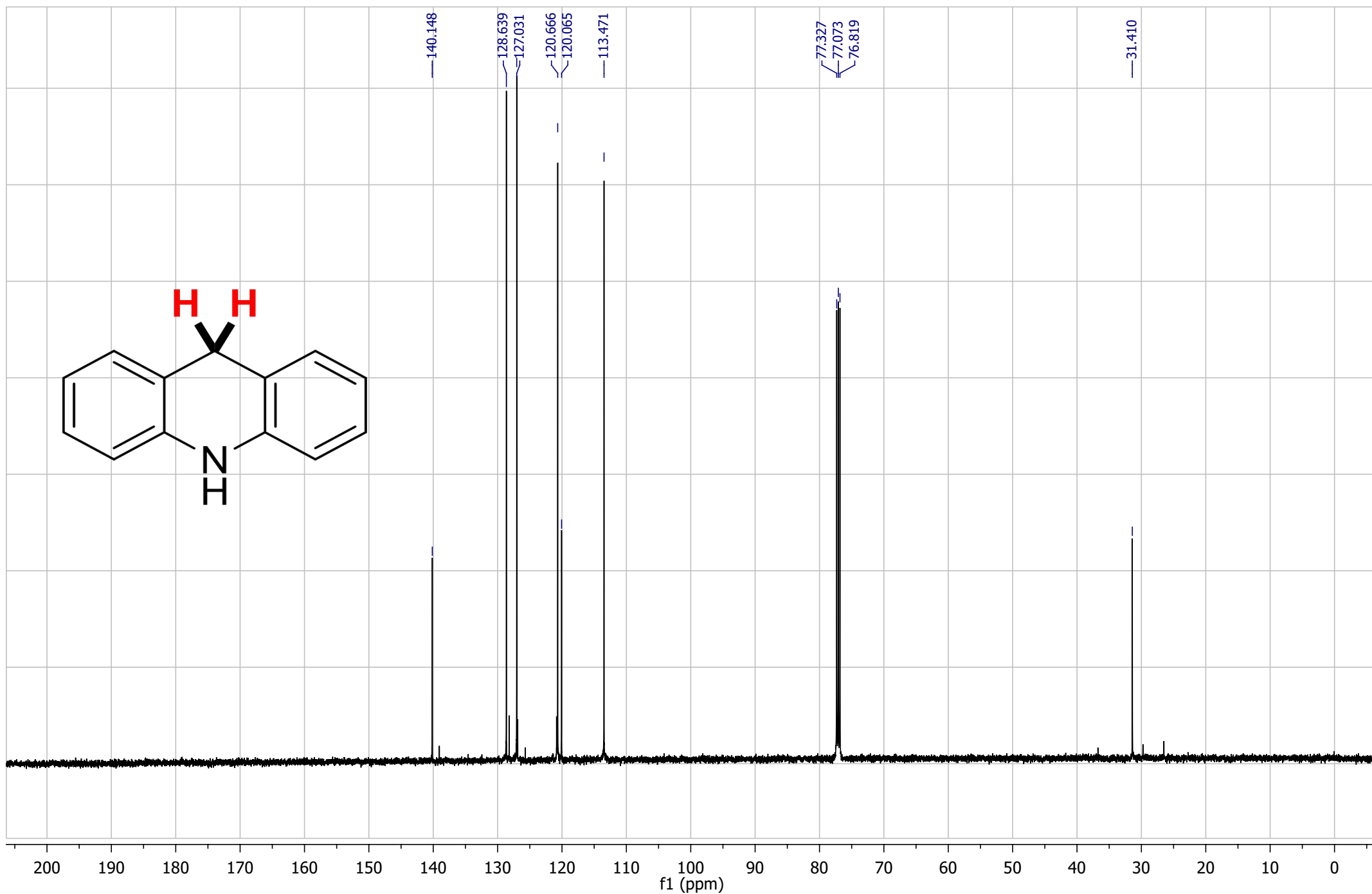
1H-indole



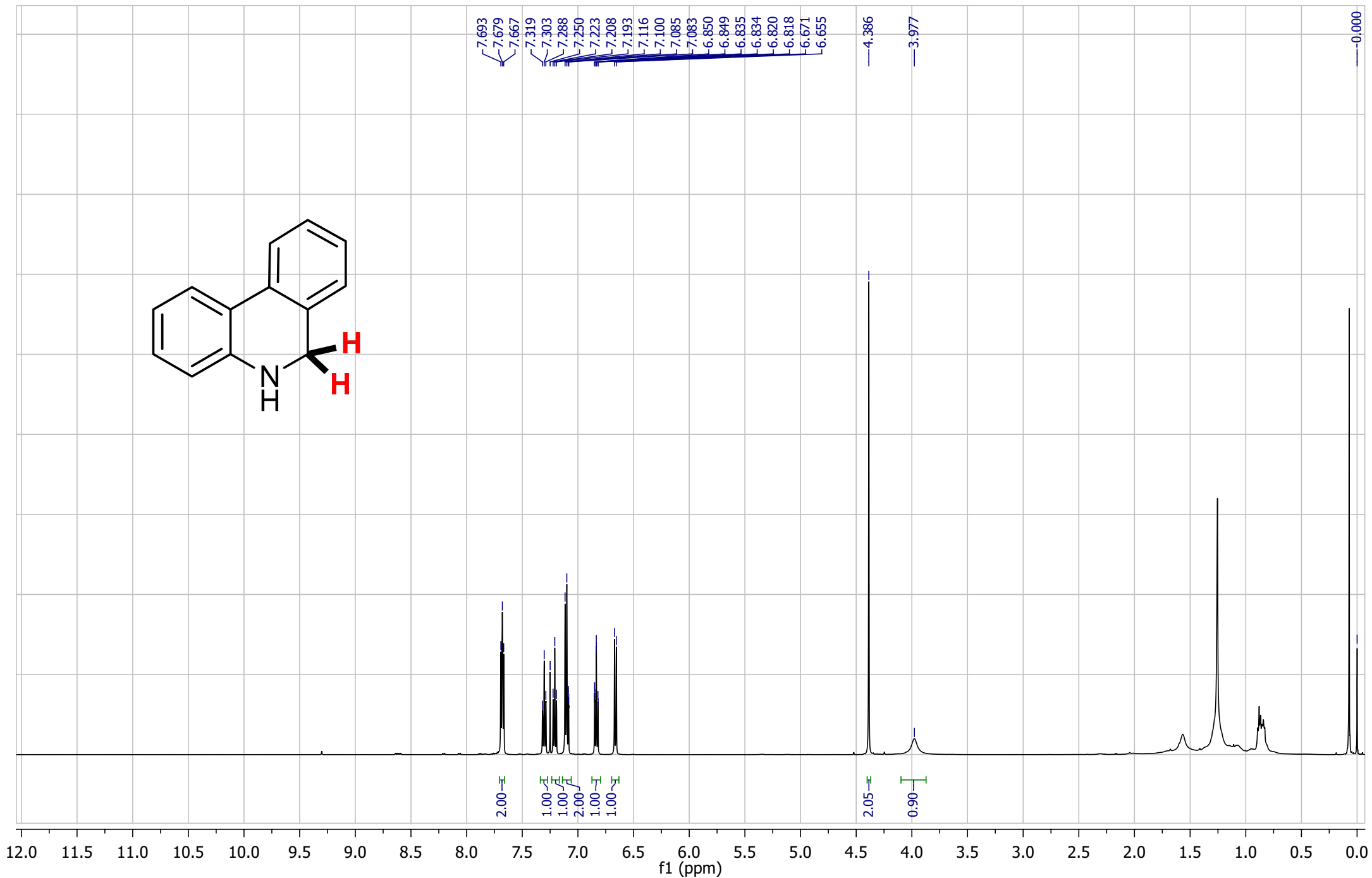
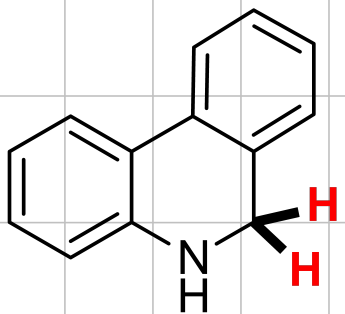
1H-indole



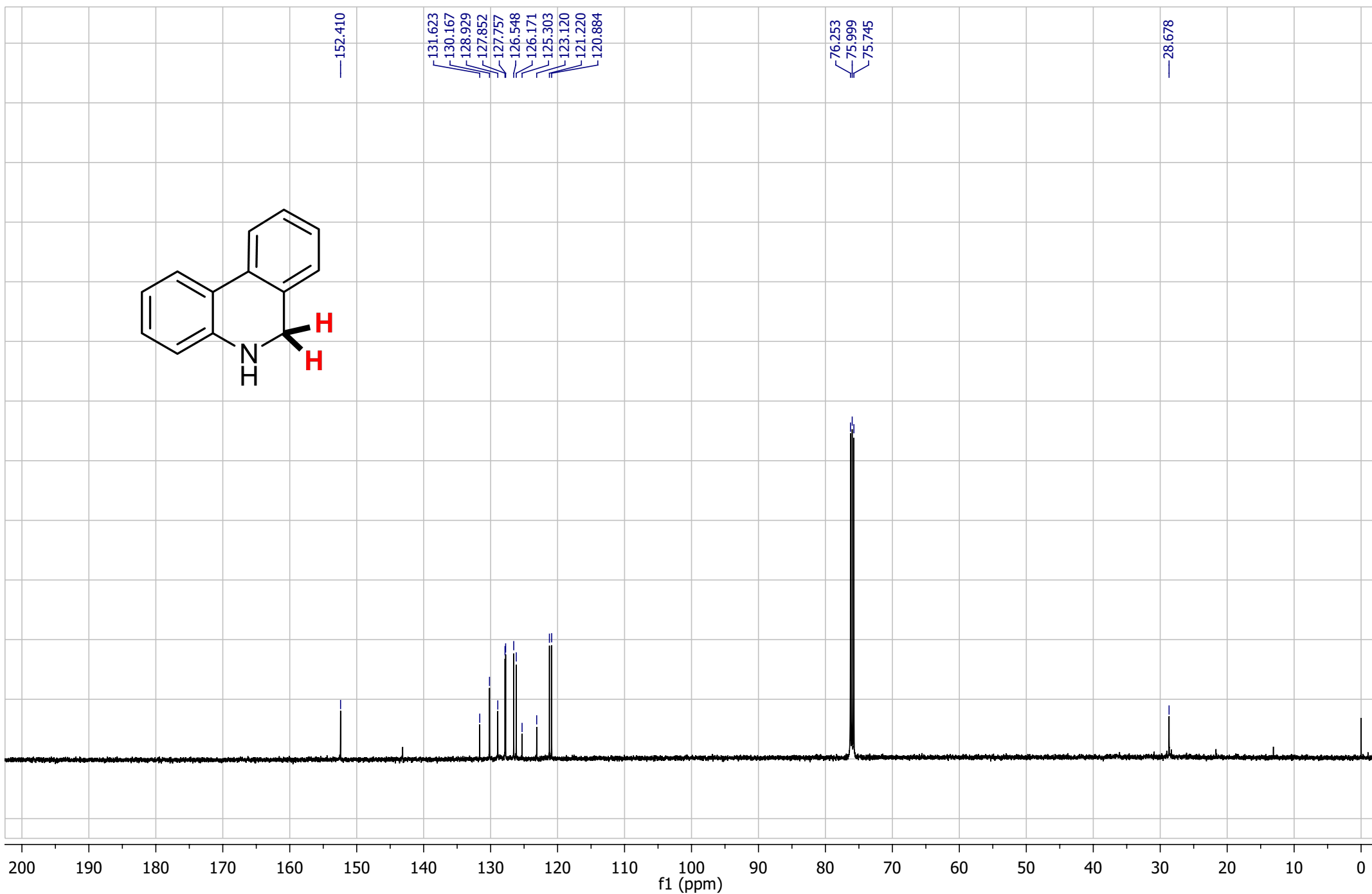
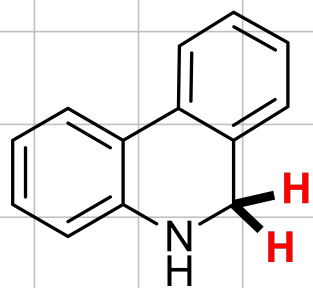
9,10-dihydroacridine



9,10-dihydroacridine



5,6-dihydrophenanthridine



5,6-dihydrophenanthridine