

Supporting Information

One-Step Synthesis of Zolpidem and Its Analogues via Visible Light Induced Coupling of Imidazo[1,2- α]pyridines with 2-Bromoacetamides

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Table of contents

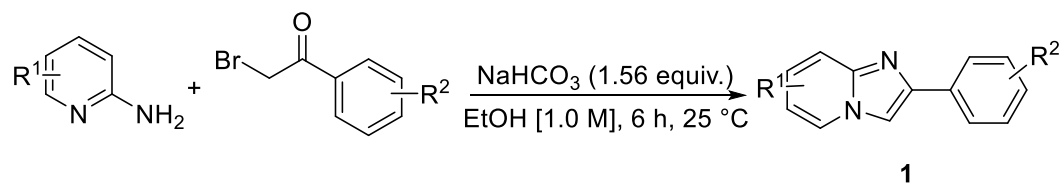
1. General information	1
2. General procedure for the synthesis of substrates	1
3. General procedure for the synthesis of products	2
4. Gram-scale reaction	3
5. Mechanistic studies	3
5.1 Radical Trapping Experiments	3
5.2 UV Spectroscopic Analysis of Possible EDA Complexes	6
5.3 On/off LED irradiation experiments	6
5.4 Reactions of 2a and 2b with DIPEA under Dark Conditions	7
6. Characterization Data of Products	12
7. References	26
8. NMR spectra	27

1. General information

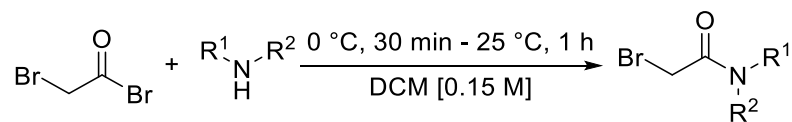
Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. Products were purified by column chromatography on 200-300 mesh silica gel, SiO₂. ¹H and ¹³C NMR spectra were measured on a 400 MHz NMR spectrometer using CDCl₃ as the solvent. The chemical shifts are given in δ relative to TMS, and the coupling constants are given in Hertz. The peak patterns are indicated as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; qui, quintet; sxt, sextet. The high-resolution mass spectra (HRMS) analyses were conducted by using a TOF MS instrument with an ESI or APCI source.

2. General procedure for the synthesis of substrates

All the imidazopyridine derivatives were prepared according to previous literature.¹

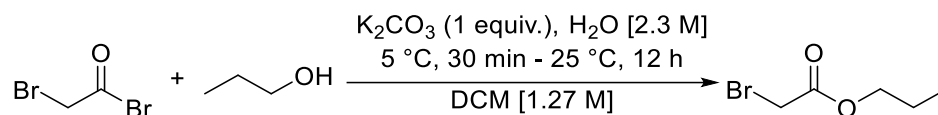


2-Aminopyridine derivatives and 2-bromoacetophenone derivatives (1.0 equiv.) were weighed and added to a round-bottom flask containing a magnetic stir bar. Ethanol and NaHCO₃ were introduced, and the mixture was stirred at 25 °C for 6 h. After completion of the reaction, the mixture was diluted with water, extracted with ethyl acetate, and the organic phase was dried. The product was isolated by column chromatography.



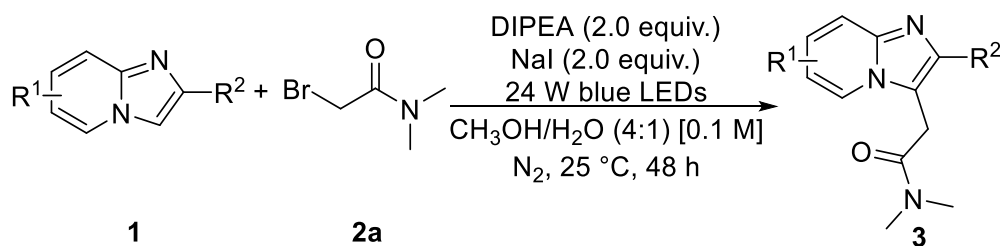
Bromoacetyl bromide was slowly added dropwise to a dichloromethane solution of the amine at 0 °C. The mixture was stirred at this temperature for 30 minutes, then allowed to warm to 25 °C and reacted for an additional 1 h. Upon successful completion of the reaction, the mixture was extracted with dichloromethane, separated, dried over anhydrous Na₂SO₄, and the product was

isolated by silica gel column chromatography.



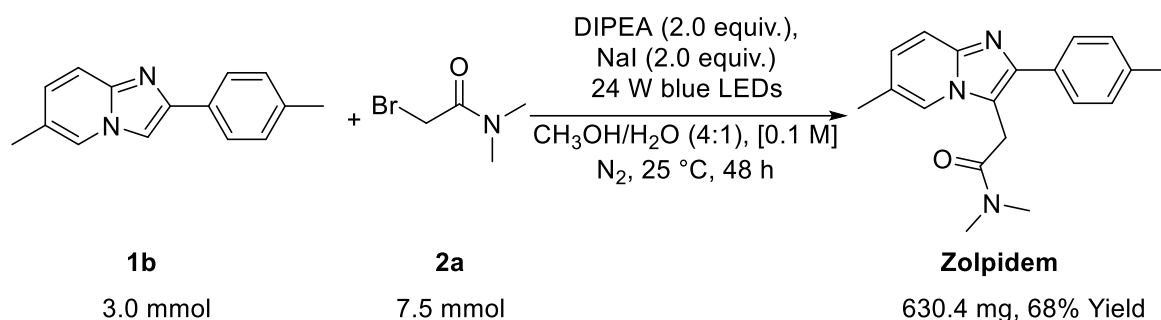
K₂CO₃ was dissolved in distilled water and added to a solution of half of the DCM (dichloromethane) dissolved in *n*-propanol. The reaction mixture was cooled to 5 °C, and a DCM solution of bromoacetyl bromide was slowly added to the above mixture. The mixture was stirred at 5 °C for 30 minutes, then allowed to react at 25 °C for 12 h. After the reaction was completed, the mixture was extracted with DCM, and the organic phase was washed with brine, dried over anhydrous Na₂SO₄, and concentrated under reduced pressure to afford the product.

3. General procedure for the synthesis of products



The imidazo[1,2-*α*]pyridine compound (**1**, 0.2 mmol, 1.0 equiv.) and NaI (0.4 mmol, 2.0 equiv.) were added to a Schlenk tube equipped with a magnetic stir bar. The tube was evacuated and backfilled with nitrogen three times. Then, DIPEA (*N,N*-diisopropylethylamine) (0.4 mmol, 2.0 equiv.), 2-bromo-*N,N*-dimethylacetamide (**2a**, 0.5 mmol, 2.5 equiv.), and solvent (2.0 ml) were added. The tube was again evacuated and backfilled with nitrogen three times before sealing. The Schlenk tube was irradiated with blue LEDs equipped with a cooling fan at 25 °C for 48 h. After completion of the reaction, the mixture was extracted with ethyl acetate, dried over anhydrous Na₂SO₄, and purified by silica gel column chromatography to afford the purified product **3**.

4. Gram-scale reaction

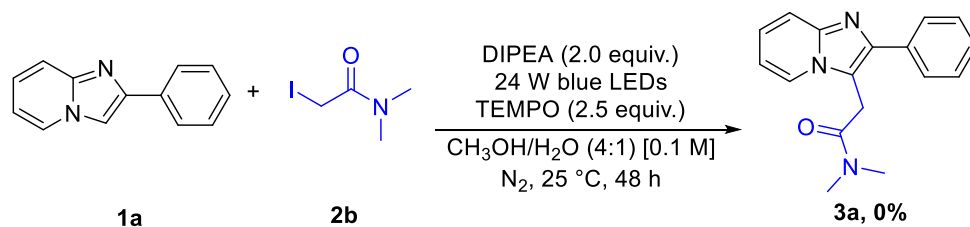


Note: The zolpidem synthesis was conducted by Xiao Yuanjiu during our group's collaborative process development project with Hunan Asia-Pacific Pharmaceutical Co., Ltd., in compliance with legal regulations. 3 mmol of 6-methyl-2-(*p*-tolyl)imidazo[1,2-*a*]pyridine (**1b**) was reacted with 2.5 equiv. of 2-bromo-*N,N*-dimethylacetamide (**2a**) under standard conditions. Following completion, the product was purified by column chromatography to afford 630.4 mg of the desired **3ba** in 68% yield.

5. Mechanistic studies

5.1 Radical Trapping Experiments

The reaction was conducted under standard conditions with the addition of the radical scavenger TEMPO (2,2,6,6-tetramethylpiperidine-1-oxide). The formation of the target product **3a** was completely blocked, indicating that the reaction proceeds via a radical pathway.



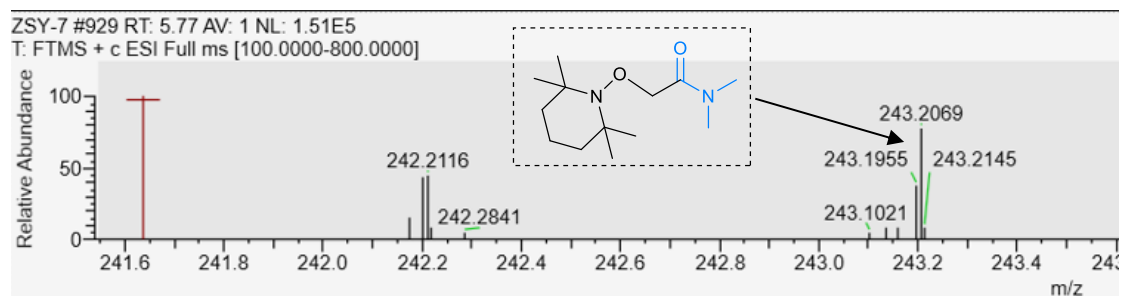


Figure S1 GC-MS spectrum of TEMPO with **2b** .

Since no adduct between TEMPO and any reactant was detected, 1,1-diphenylethylene was employed as an alternative radical scavenger (Figure S1). GC-MS analysis of the reaction mixture after completion revealed the presence of species **5**, demonstrating the formation of an *N,N*-dimethylacetamide radical in the system, which subsequently couples with **1a**.

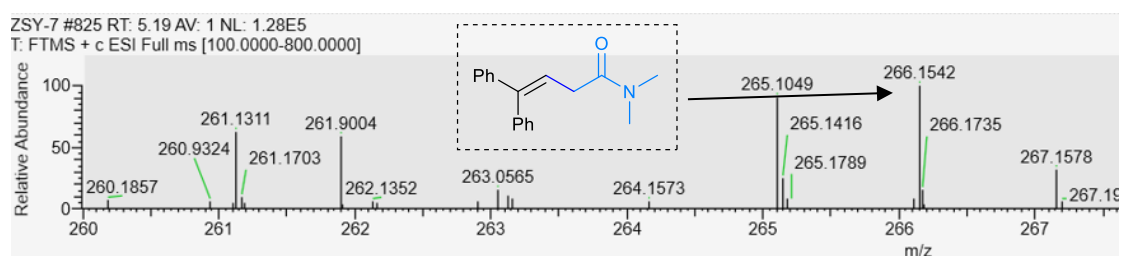
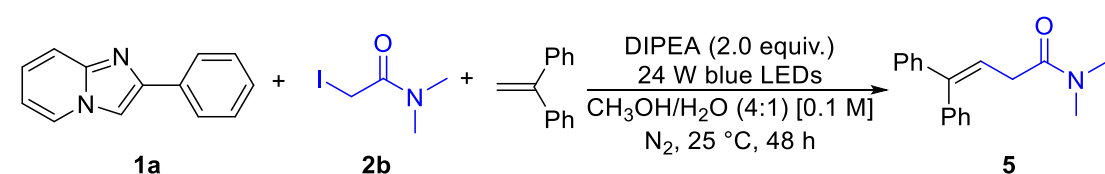
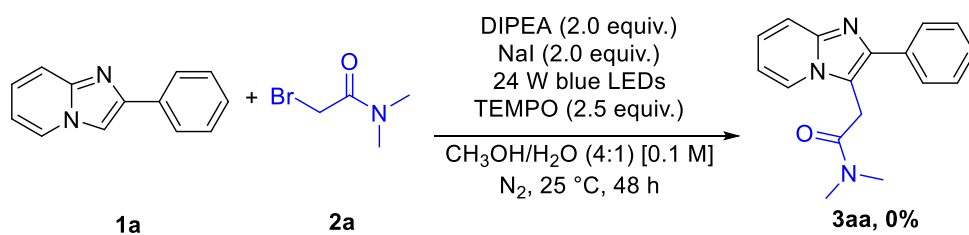
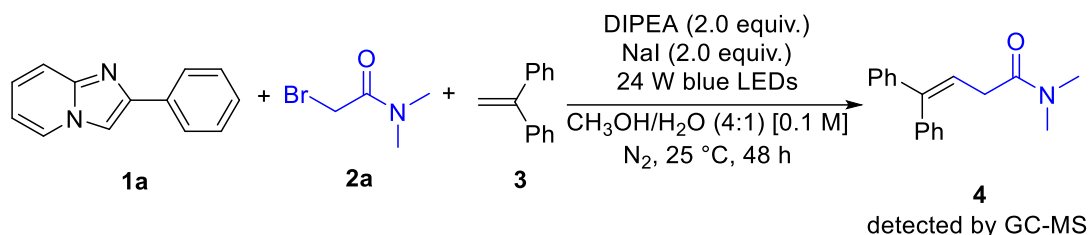


Figure S2 GC-MS spectrum of TEMPO with **5** .



The reaction was conducted under standard conditions with the addition of the radical scavenger TEMPO (2,2,6,6-tetramethylpiperidine-1-oxide). The formation of the target product **3aa** was completely blocked, indicating that the reaction proceeds via a radical pathway.



Since no adduct between TEMPO and any reactant was detected, 1,1-diphenylethylene (**3**) was employed as an alternative radical scavenger (Figure S1). GC-MS analysis of the reaction mixture after completion revealed the presence of species **4**, demonstrating the formation of an *N,N*-dimethylacetamide radical in the system, which subsequently couples with **1a**.

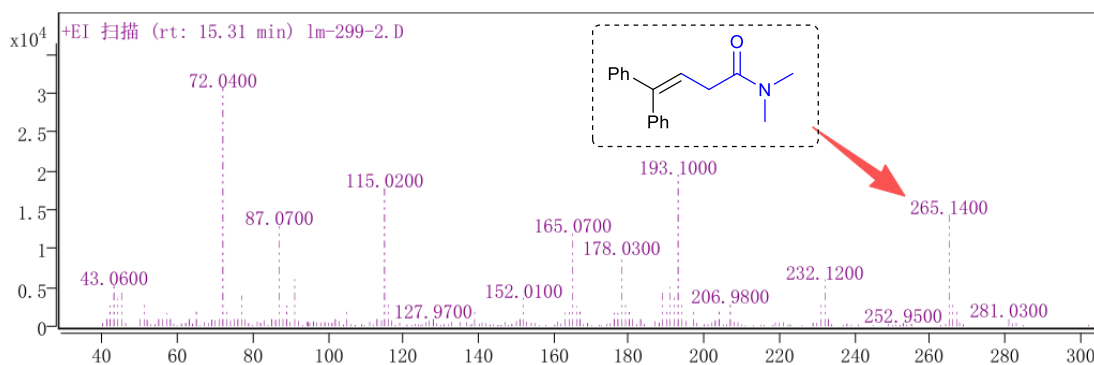


Figure S3 GC-MS spectrum of **4**.

Furthermore, we performed an experiment to investigate whether nucleophilic substitution of bromine with iodine occurs within the reaction time under standard but dark conditions. The results show that nucleophilic substitution of bromine with iodine does take place under these conditions, and most of the bromine is substituted.

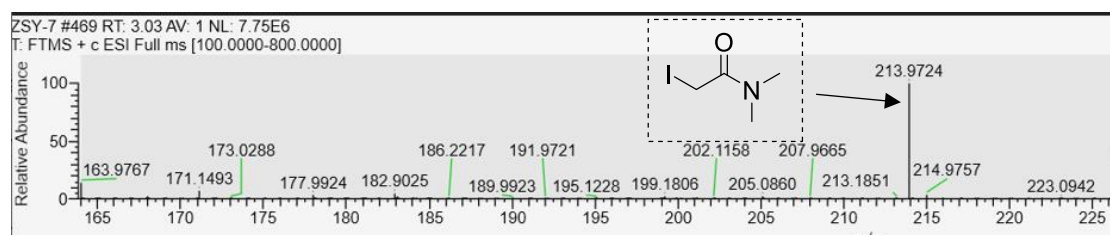
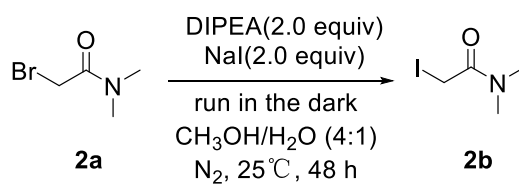


Figure S4 GC-MS spectrum of **2b**.

5.2 UV Spectroscopic Analysis of Possible EDA Complexes

The UV-vis absorption spectra of CH₃OH solutions of 2-phenylimidazo[1,2-*a*]pyridine **1a** (0.05 M), 2-bromo-*N,N*-dimethyl acetamide **2a** (0.05 M), DIPEA **c** (0.05 M), NaI **d** (0.05 M) and their mixtures (0.05 M) are shown in Figure S2.

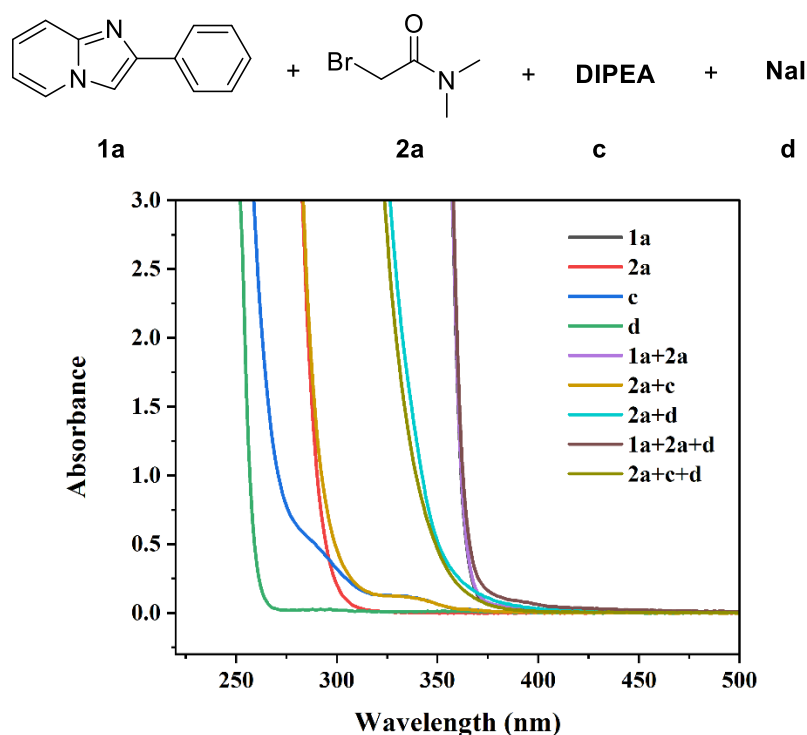


Figure S5 UV-vis spectra of **1a**, **2a**, **c**, **d** and their mixtures for [0.05 M] solutions in CH₃OH.

UV-vis absorption spectra of individual components and their mixtures indicate that the reaction does not involve the formation of EDA (electron donor-acceptor) complexes through interactions between electron donors and acceptors.

5.3 On/off LED irradiation experiments

Reactants 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 1.0 mmol, 1.0 equiv.) and NaI (2.0 mmol, 2.0 equiv.) were added to a sealed tube with a magnetic stir bar. The tube was evacuated and backfilled with nitrogen three times, followed by adding *N,N*-diisopropylethylamine (DIPEA, 2.0 mmol, 2.0 equiv.), 2-bromo-*N,N*-dimethylacetamide (**2a**, 2.5 mmol, 2.5 equiv.) and solvent (10.0 ml) under a nitrogen atmosphere. After another three cycles of evacuation and nitrogen backfilling, the tube was sealed. The reaction mixture was stirred under blue visible light irradiation for 6 h, then 0.5 ml of

the sample was withdrawn with a syringe under nitrogen, concentrated under reduced pressure, and quantified by ^1H NMR using dibromomethane as an internal standard. The tube was then wrapped in aluminum foil to exclude light, reacted for an additional 3 h, and sampled again for analysis. This cycle was repeated, and the yield of **3a** was plotted against time.

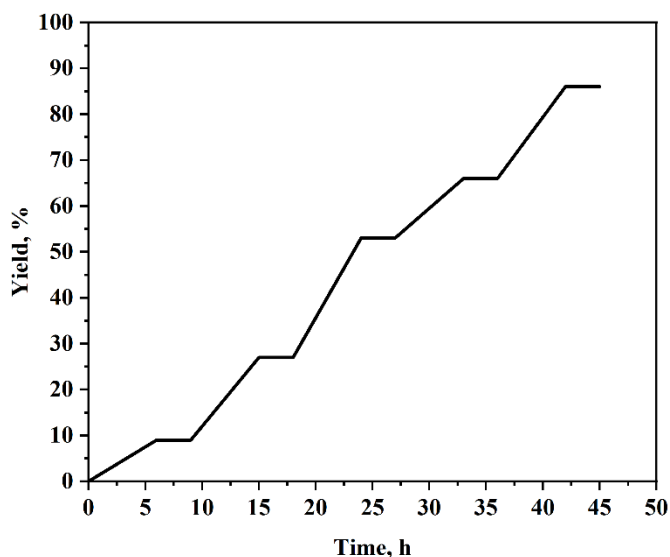
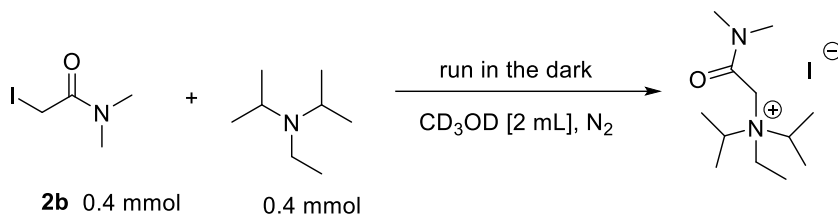


Figure S6 On/off LED irradiation experiments.

The experimental results confirm that this reaction proceeds only under visible blue light irradiation, as the yield of target product **3a** did not go up during the time without the light irradiation. This indicates that visible blue light is essential for initiating the reaction and suggests a non-radical chain mechanism.

5.4 Reactions of **2a** and **2b** with DIPEA under Dark Conditions

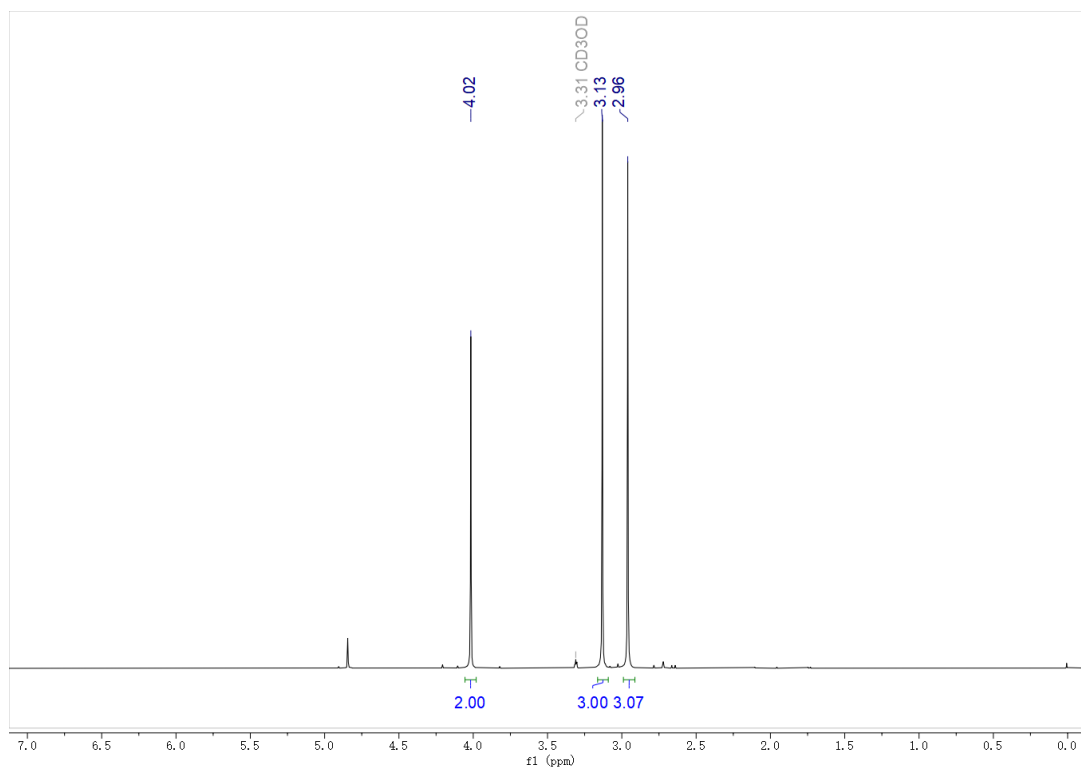
Each group of experiments was conducted in three parallel runs with reaction durations of 3 h, 12 h, and 24 h, respectively. Methanol- d_4 was used as the reaction solvent, allowing direct NMR testing after the reaction. After the reaction, the reaction mixture was processed, and 1 equivalent of 1,3,5-trimethoxybenzene was added as the internal standard.



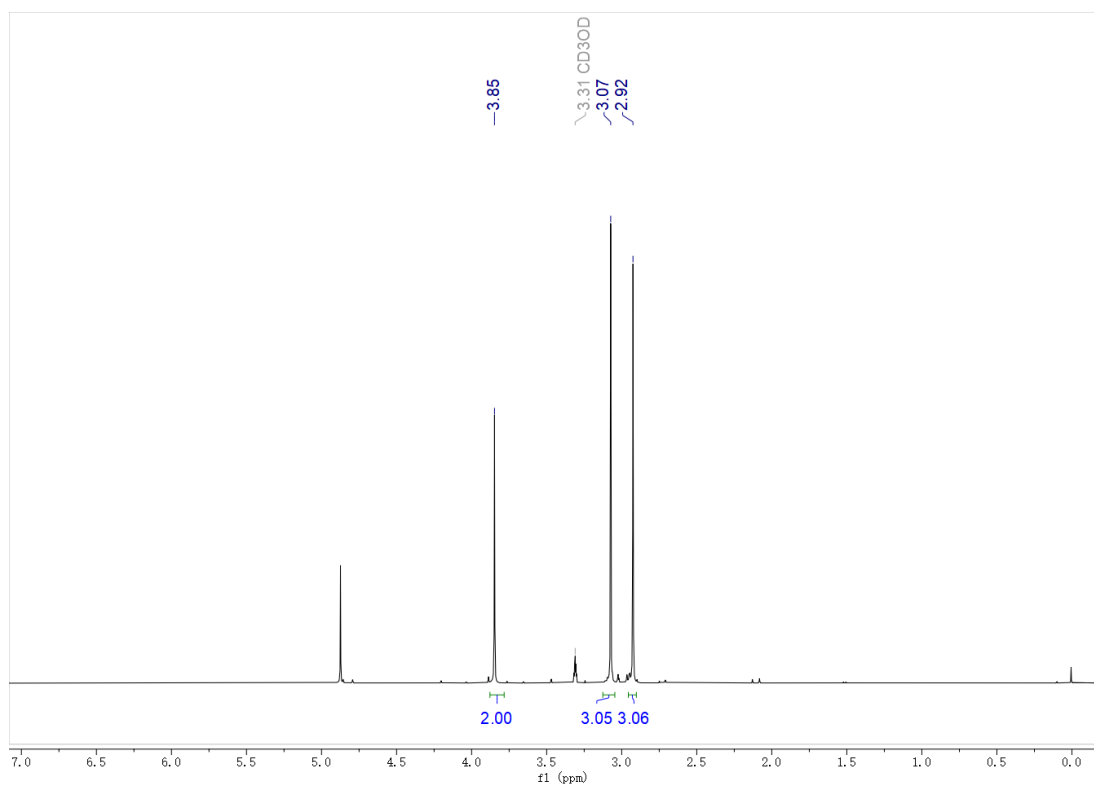
As shown in the following ^1H NMR spectra, both **2a** and **2b** exhibit low reactivity toward DIPEA under dark conditions. Most of the starting materials remain unconsumed after 12 hours of reaction, and only a small fraction undergoes conversion within 24 hours. Although **2b** displays a slightly higher reaction rate than **2a**, the majority of both substrates still remain in the reaction system throughout the whole process. From the data, we can conclude that the reaction of **2a** or **2b** with DIPEA should not interfere with our main alkylation reaction with the imidazo[1,2- α]pyridine core.

The detailed NMR data are listed below:

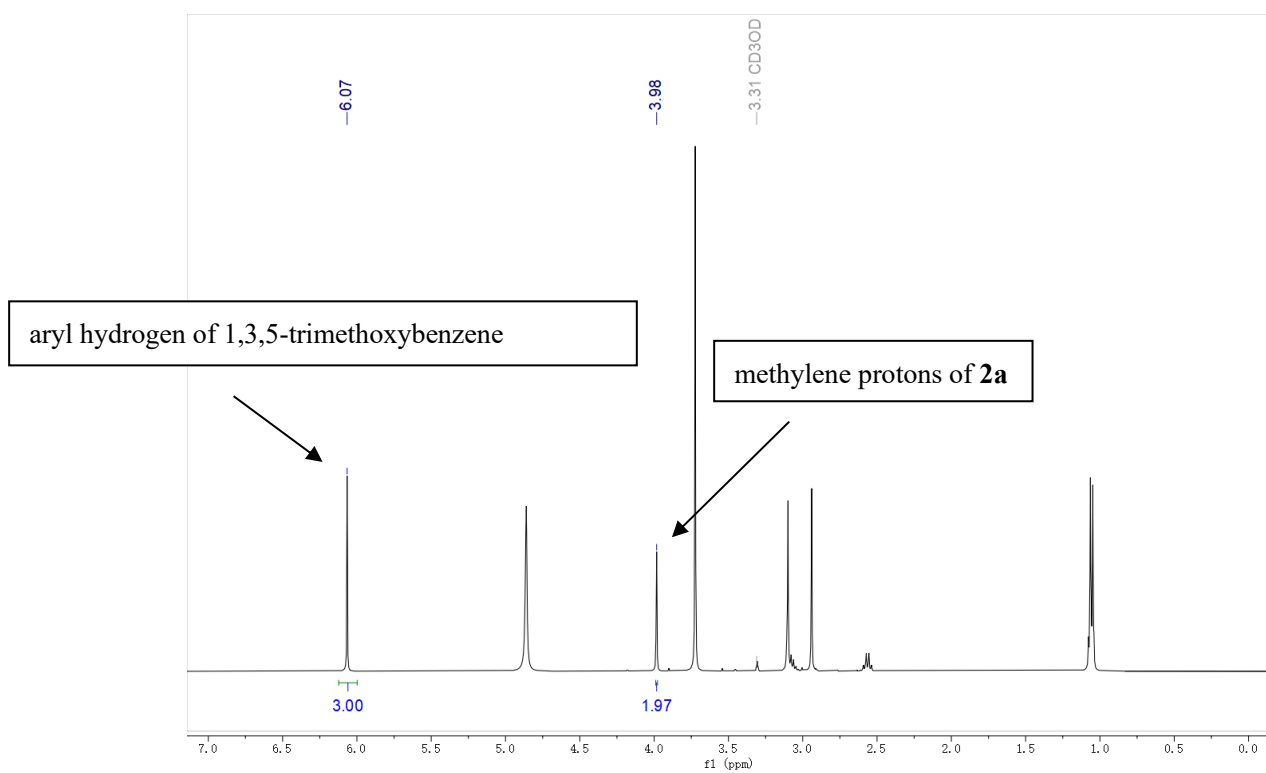
^1H NMR spectrum of **2a**



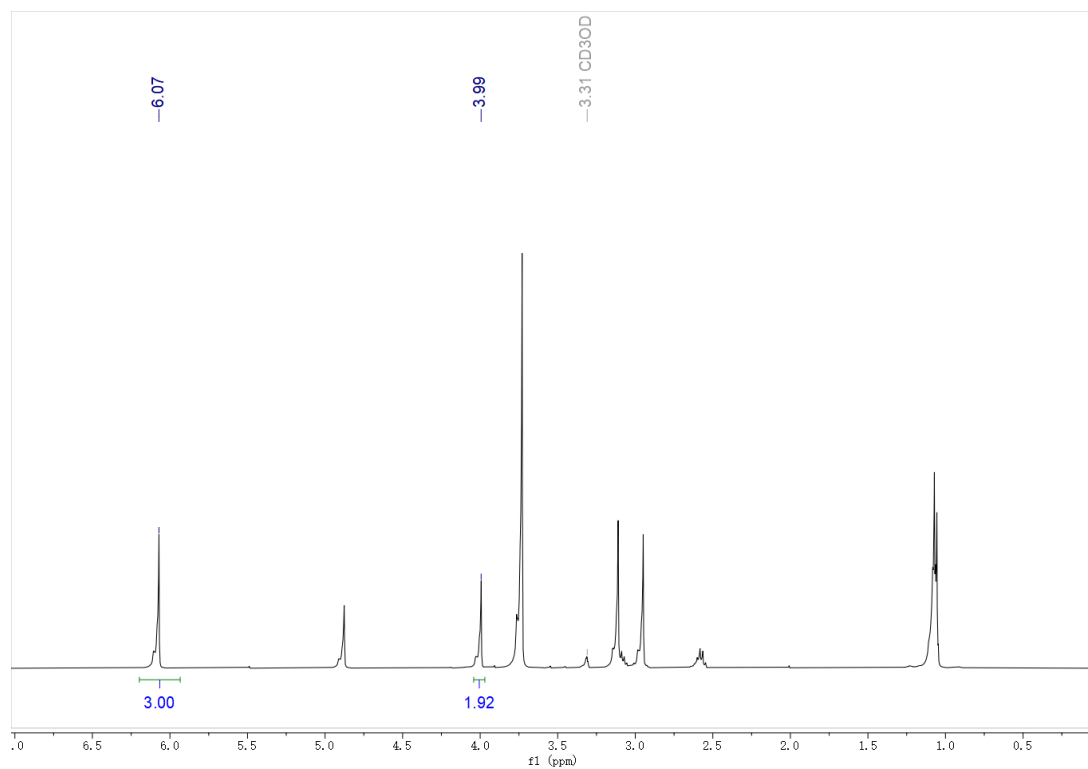
¹H NMR spectrum of **2b**



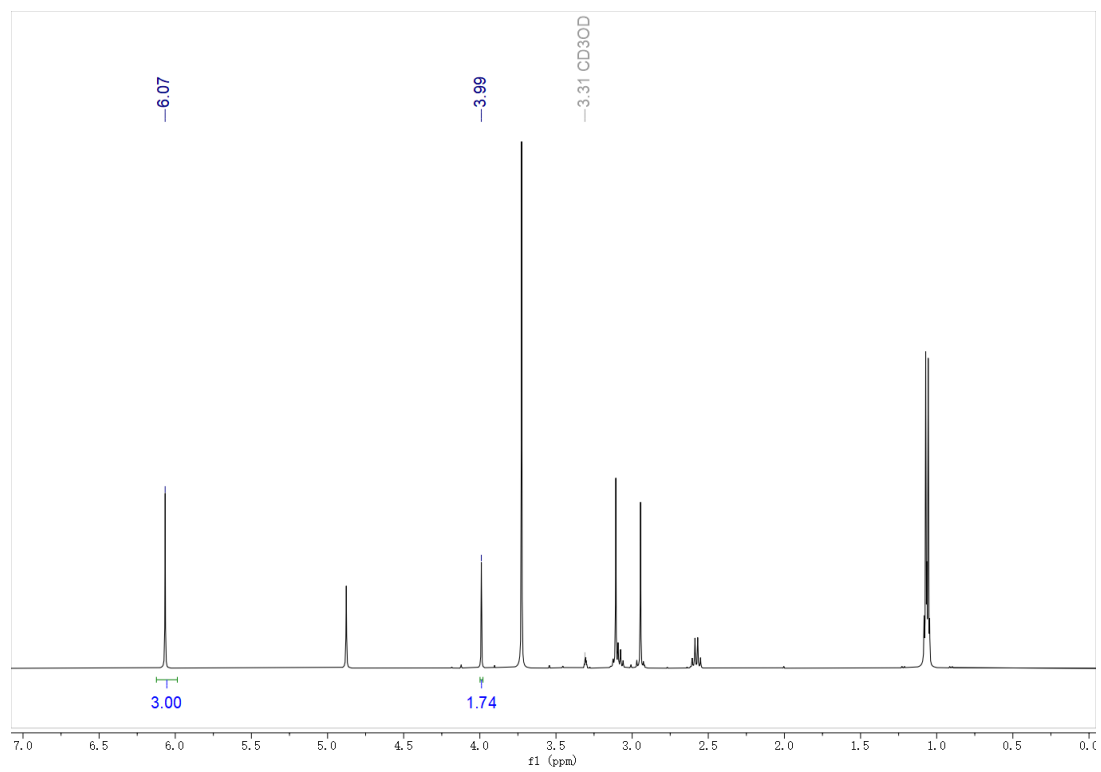
2a-3h



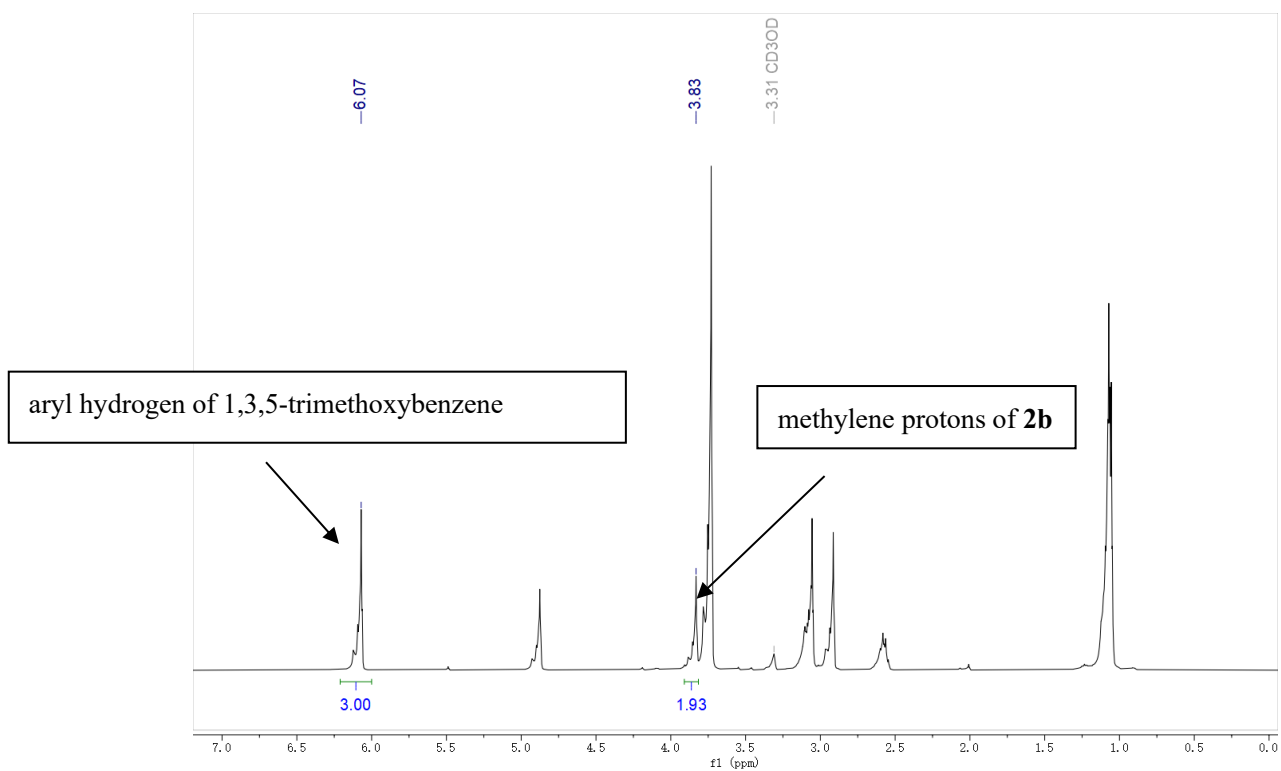
2a-12h



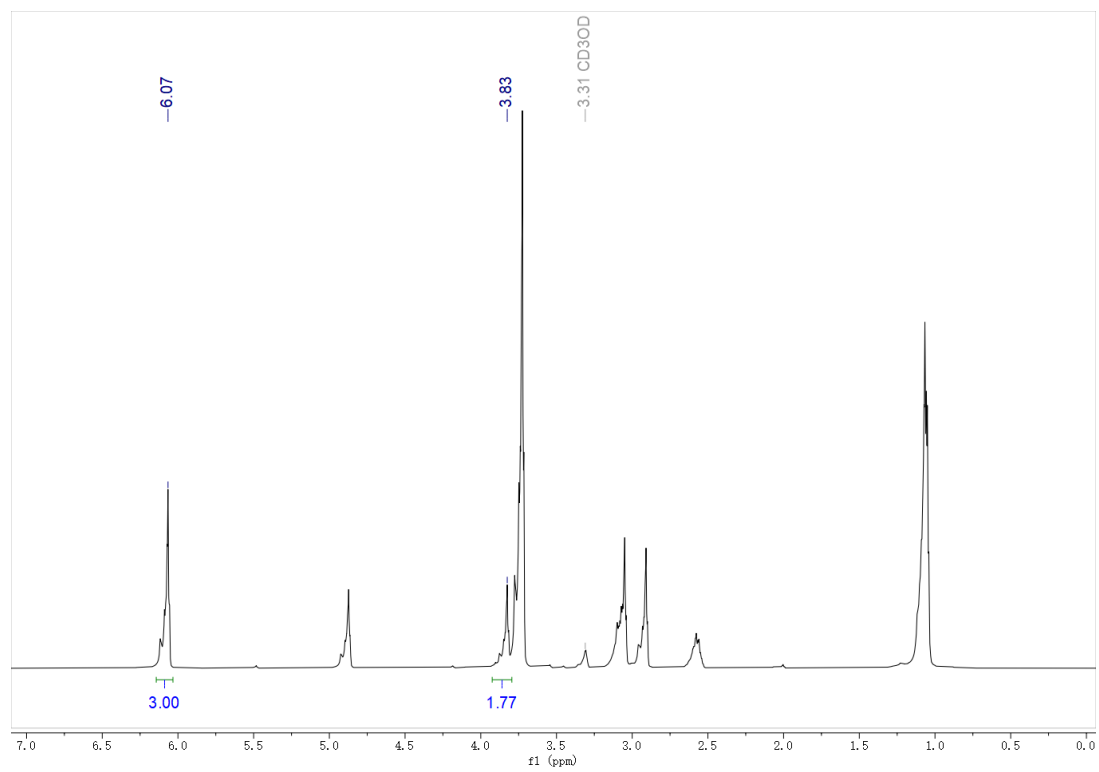
2a-24h



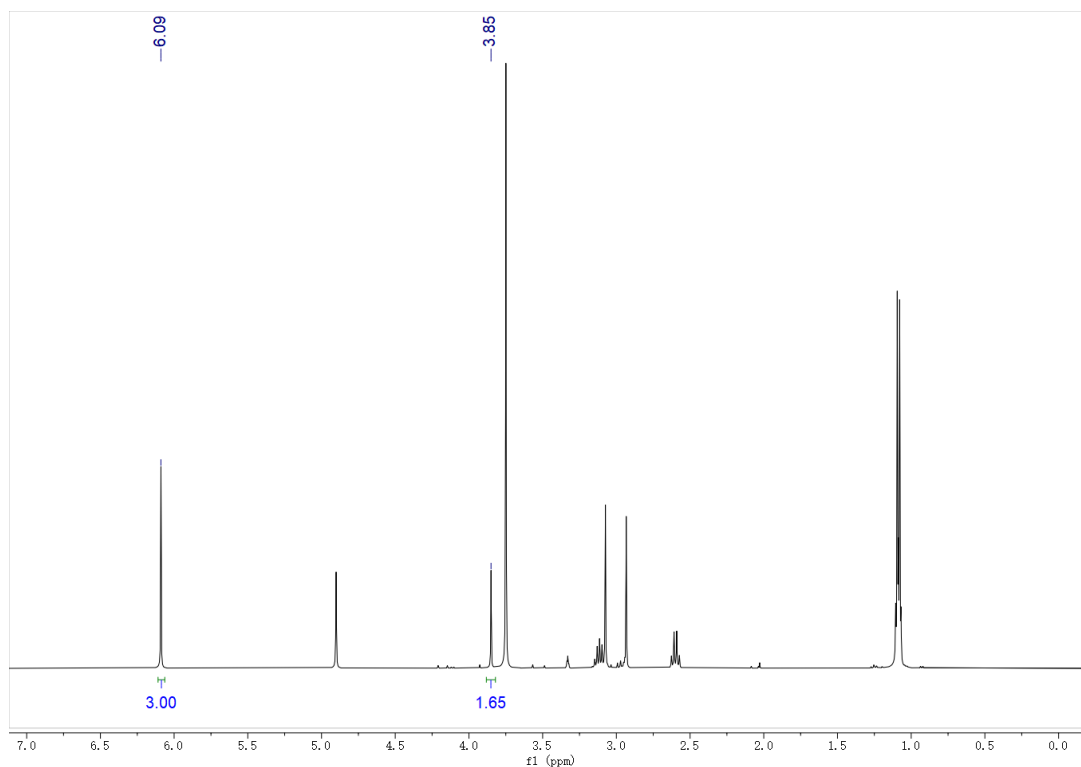
2b-3h



2b-12h

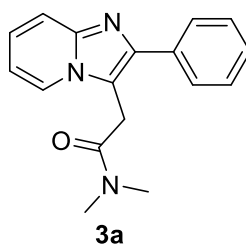


2b-24h



6. Characterization Data of Products

***N,N*-Dimethyl-2-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)acetamide.**



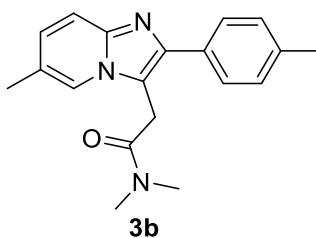
After purification, **3a** was obtained as white solid (49.3 mg, 88% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 98-100 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.20 (d, *J* = 6.9 Hz, 1H), 7.64 (d, *J* = 7.2 Hz, 2H), 7.60 (d, *J* = 9.1 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.17 (dd, *J* = 8.3, 7.4 Hz, 1H), 6.79 (t, *J* = 6.8 Hz, 1H), 4.09 (s, 2H), 2.91 (s, 3H), 2.84 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.19, 145.16, 144.02, 134.63, 128.69, 128.67, 127.85, 124.73, 124.47, 117.33, 114.27, 112.22, 37.50, 35.85, 30.17.

The spectral data were in accordance with the literature.²

***N,N*-Dimethyl-2-(6-methyl-2-(*p*-tolyl)imidazo[1,2-*α*]pyridin-3-yl)acetamide (Zolpidem).**



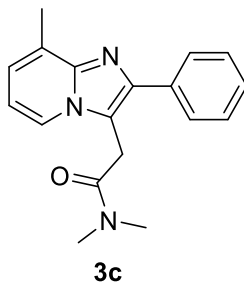
After purification, **3b** was obtained as yellow solid (43.1 mg, 70% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 186-188 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.01 (s, 1H), 7.56 (t, J = 8.1 Hz, 3H), 7.29 (d, J = 7.6 Hz, 2H), 7.07 (d, J = 9.2 Hz, 1H), 4.10 (s, 2H), 2.97 (s, 3H), 2.91 (s, 3H), 2.43 (s, 3H), 2.37 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.44, 144.25, 143.94, 137.50, 131.93, 129.41, 128.51, 127.54, 122.28, 121.77, 116.65, 113.71, 37.58, 35.93, 30.36, 21.35, 18.53.

The spectral data were in accordance with the literature.²

***N,N*-Dimethyl-2-(8-methyl-2-phenylimidazo[1,2-*α*]pyridin-3-yl)acetamide.**



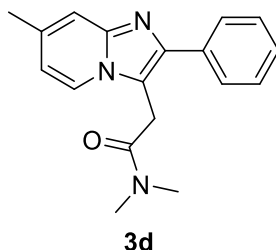
After purification, **3c** was obtained as white solid (40.0 mg, 68% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 163-165 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.06 (d, J = 6.3 Hz, 1H), 7.64 (d, J = 6.0 Hz, 2H), 7.43 (dd, J = 7.1, 5.0 Hz, 2H), 7.37 – 7.29 (m, 1H), 6.96 (d, J = 5.8 Hz, 1H), 6.70 (dd, J = 6.7, 4.9 Hz, 1H), 4.05 (s, 2H), 2.88 (d, J = 2.4 Hz, 3H), 2.81 (d, J = 2.9 Hz, 3H), 2.62 (d, J = 2.0 Hz, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.31, 145.59, 143.62, 134.91, 128.89, 128.67, 127.74, 127.21, 123.26, 122.54, 114.57, 112.25, 37.48, 35.84, 30.35, 17.17.

HRMS (EI) m/z : [M+H]⁺ calcd for C₁₈H₂₀N₃O 294.1601, found 294.1600.

***N,N*-Dimethyl-2-(7-methyl-2-phenylimidazo[1,2-*α*]pyridin-3-yl)acetamide.**



After purification, **3d** was obtained as yellow solid (42.44 mg, 72% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 183-185 °C.

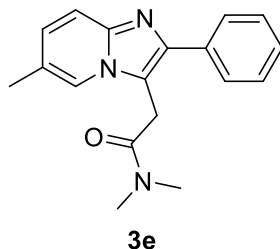
¹H NMR (400 MHz, CDCl₃) δ 8.07 (d, J = 6.6 Hz, 1H), 7.62 (d, J = 7.4 Hz, 2H), 7.40 (d, J = 6.6

Hz, 2H), 7.33 (d, $J = 5.7$ Hz, 2H), 6.60 (d, $J = 6.7$ Hz, 1H), 4.04 (s, 2H), 2.87 (s, 3H), 2.80 (s, 3H), 2.35 (s, 3H).

^{13}C NMR (100 MHz, CDCl_3) δ 168.31, 145.58, 143.60, 135.38, 134.79, 128.62, 128.59, 127.69, 123.97, 115.66, 114.81, 113.58, 37.45, 35.81, 30.21, 21.31.

HRMS (EI) m/z : $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{20}\text{N}_3\text{O}$ 294.1601, found 294.1600.

***N,N*-Dimethyl-2-(6-methyl-2-phenylimidazo[1,2- α]pyridin-3-yl)acetamide.**



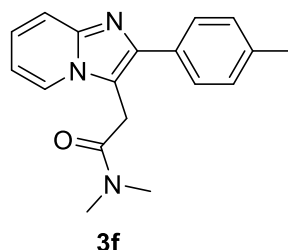
After purification, **3e** was obtained as yellow solid (37.7 mg, 64% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 204-209 °C.

^1H NMR (400 MHz, CDCl_3) δ 7.96 (s, 1H), 7.63 (d, $J = 7.6$ Hz, 2H), 7.51 (d, $J = 9.1$ Hz, 1H), 7.43 (t, $J = 7.1$ Hz, 2H), 7.34 (t, $J = 7.4$ Hz, 1H), 7.03 (d, $J = 9.2$ Hz, 1H), 4.06 (s, 2H), 2.93 (s, 3H), 2.87 (s, 3H), 2.32 (s, 3H).

^{13}C NMR (100 MHz, CDCl_3) δ 168.36, 144.31, 143.89, 134.86, 128.69, 128.66, 127.76, 127.68, 122.30, 121.89, 116.74, 113.98, 37.56, 35.94, 30.27, 18.54.

HRMS (EI) m/z : $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{20}\text{N}_3\text{O}$ 294.1601, found 294.1600.

***N,N*-Dimethyl-2-(2-(*p*-tolyl)imidazo[1,2- α]pyridin-3-yl)acetamide.**



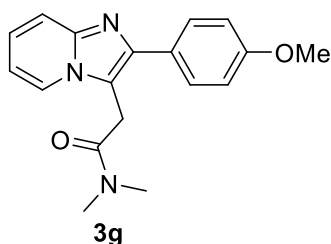
After purification, **3f** was obtained as yellow solid (34.7 mg, 59% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 184-190 °C.

^1H NMR (400 MHz, CDCl_3) δ 8.25 (d, $J = 6.4$ Hz, 1H), 7.62 (d, $J = 8.9$ Hz, 1H), 7.56 (d, $J = 7.5$ Hz, 2H), 7.28 (d, $J = 7.4$ Hz, 2H), 7.20 (t, $J = 7.5$ Hz, 1H), 6.82 (t, $J = 6.2$ Hz, 1H), 4.12 (s, 2H), 2.94 (s, 3H), 2.88 (s, 3H), 2.41 (s, 3H).

^{13}C NMR (100 MHz, CDCl_3) δ 168.28, 145.07, 143.98, 137.72, 131.61, 129.48, 128.57, 124.82, 124.52, 117.22, 114.05, 112.25, 37.60, 35.89, 30.39, 21.38.

The spectral data were in accordance with the literature.³

2-(2-(4-Methoxyphenyl)imidazo[1,2- α]pyridin-3-yl)-*N,N*-dimethylacetamide.



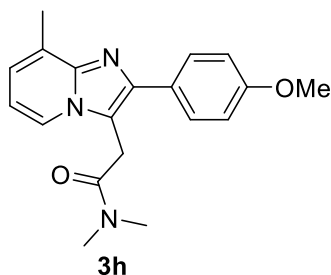
After purification, **3g** was obtained as yellow solid (47.1 mg, 76% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 149-151 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.21 – 8.08 (m, 1H), 7.65 – 7.40 (m, 3H), 7.13 (dd, *J* = 8.4, 7.3 Hz, 1H), 7.03 – 6.91 (m, 2H), 6.75 (t, *J* = 6.8 Hz, 1H), 4.04 (s, 2H), 3.80 (s, 3H), 2.88 (s, 3H), 2.82 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.25, 159.41, 145.03, 143.85, 129.82, 127.13, 124.63, 124.27, 117.12, 114.15, 113.67, 112.06, 55.32, 37.50, 35.82, 30.25.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₂₀N₃O₂ 310.1550, found 310.1549.

2-(2-(4-Methoxyphenyl)-8-methylimidazo[1,2- α]pyridin-3-yl)-*N,N*-dimethylacetamide.



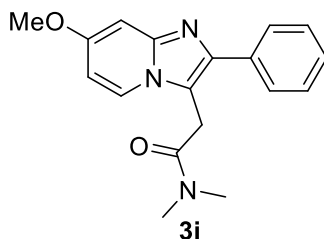
After purification, **3h** was obtained as yellow solid (44.1 mg, 68% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 102-103 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.06 (d, *J* = 6.8 Hz, 1H), 7.56 (d, *J* = 8.7 Hz, 2H), 6.98 – 6.95 (m, 3H), 6.70 (t, *J* = 6.8 Hz, 1H), 4.03 (s, 2H), 3.82 (s, 3H), 2.89 (s, 3H), 2.82 (s, 3H), 2.61 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.46, 159.44, 145.54, 143.51, 130.14, 127.48, 127.11, 123.22, 122.57, 114.22, 114.06, 112.21, 55.42, 37.59, 35.91, 30.57, 17.23.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₉H₂₂N₃O₂ 324.1707, found 324.1707.

2-(7-Methoxy-2-phenylimidazo[1,2- α]pyridin-3-yl)-*N,N*-dimethylacetamide.



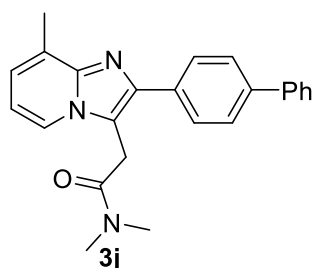
After purification, **3i** was obtained as white solid (39.7 mg, 64% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 177-181 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, *J* = 7.5 Hz, 1H), 7.60 (d, *J* = 7.3 Hz, 2H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.31 (t, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 2.2 Hz, 1H), 6.49 (dd, *J* = 7.5, 2.4 Hz, 1H), 4.02 (s, 2H), 3.80 (s, 3H), 2.87 (s, 3H), 2.79 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.37, 157.89, 146.54, 143.23, 134.73, 128.60, 128.40, 127.60, 125.34, 112.99, 107.06, 94.49, 55.45, 37.43, 35.79, 30.12.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₂₀N₃O₂ 310.1550, found 310.1550.

2-(2-([1,1'-Biphenyl]-4-yl)-8-methylimidazo[1,2-*α*]pyridin-3-yl)-*N,N*-dimethylacetamide.



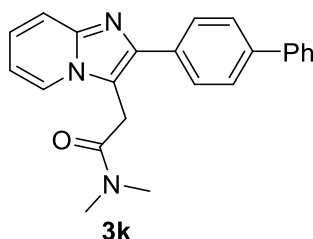
After purification, **3j** was obtained as yellow solid (36.3 mg, 49% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 192-195 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 6.8 Hz, 1H), 7.77 – 7.70 (m, 4H), 7.65 (d, *J* = 7.4 Hz, 2H), 7.46 (t, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.01 (d, *J* = 6.8 Hz, 1H), 6.76 (t, *J* = 6.8 Hz, 1H), 4.15 (s, 2H), 2.94 (s, 3H), 2.90 (s, 3H), 2.67 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.41, 145.79, 143.36, 140.86, 140.55, 134.00, 129.34, 128.95, 127.52, 127.47, 127.39, 127.17, 123.42, 122.63, 114.71, 112.42, 37.68, 35.99, 30.62, 17.28.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₂₄H₂₄N₃O 370.1914, found 370.1914.

2-(2-([1,1'-Biphenyl]-4-yl)imidazo[1,2-*α*]pyridin-3-yl)-*N,N*-dimethylacetamide.



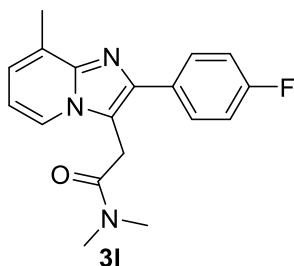
After purification, **3k** was obtained as yellow solid (38.7 mg, 53% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 226-227 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.24 (d, *J* = 6.7 Hz, 1H), 7.77 – 7.70 (m, 4H), 7.66 (d, *J* = 5.9 Hz, 3H), 7.46 (t, *J* = 6.8 Hz, 2H), 7.37 (d, *J* = 6.5 Hz, 1H), 7.22 (t, *J* = 7.7 Hz, 1H), 6.84 (t, *J* = 6.8 Hz, 1H), 4.17 (s, 2H), 2.96 (s, 3H), 2.93 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.29, 145.37, 143.78, 140.73, 140.65, 133.70, 129.13, 128.96, 127.57, 127.47, 127.16, 124.82, 124.64, 117.49, 114.41, 112.39, 37.70, 36.00, 30.46.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₂₃H₂₂N₃O 356.1757, found 356.1758.

2-(2-(4-Fluorophenyl)-8-methylimidazo[1,2- α]pyridin-3-yl)-*N,N*-dimethylacetamide.



After purification, **3l** was obtained as white solid (38.1 mg, 61% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 150-153 °C.

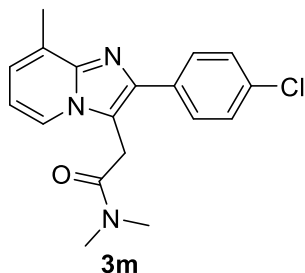
¹H NMR (400 MHz, CDCl₃) δ 8.05 (d, *J* = 6.8 Hz, 1H), 7.64 – 7.61 (m, 2H), 7.13 (t, *J* = 8.7 Hz, 2H), 6.98 (d, *J* = 6.8 Hz, 1H), 6.72 (t, *J* = 6.8 Hz, 1H), 4.03 (s, 2H), 2.91 (s, 3H), 2.86 (s, 3H), 2.62 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.22, 162.65 (d, *J* = 246.9 Hz), 145.59, 142.79, 131.10 (d, *J* = 3.2 Hz), 130.61 (d, *J* = 8.1 Hz), 127.28, 123.43, 122.48, 115.67 (d, *J* = 21.5 Hz), 114.53, 112.41, 37.54, 35.91, 30.29, 17.17.

¹⁹F NMR (376 MHz, CDCl₃) δ -114.35(s).

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₉FN₃O 312.1507, found 312.1507.

2-(2-(4-Chlorophenyl)-8-methylimidazo[1,2- α]pyridin-3-yl)-*N,N*-dimethylacetamide.



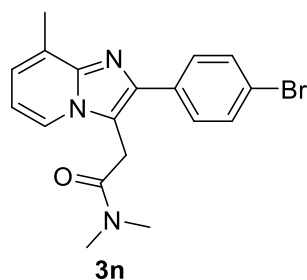
After purification, **3m** was obtained as white solid (34.8 mg, 53% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 184-185 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, *J* = 6.8 Hz, 1H), 7.61 (d, *J* = 8.3 Hz, 2H), 7.42 (d, *J* = 8.3 Hz, 2H), 6.99 (d, *J* = 6.8 Hz, 1H), 6.73 (t, *J* = 6.8 Hz, 1H), 4.04 (s, 2H), 2.93 (s, 3H), 2.89 (s, 3H), 2.63 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.16, 145.73, 142.58, 133.81, 133.55, 130.18, 128.94, 127.40, 123.53, 122.49, 114.78, 112.51, 37.60, 35.96, 30.34, 17.19.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₉ClN₃O 328.1211, found 328.1211.

2-(2-(4-Bromophenyl)-8-methylimidazo[1,2-a]pyridin-3-yl)-N,N-dimethylacetamide.



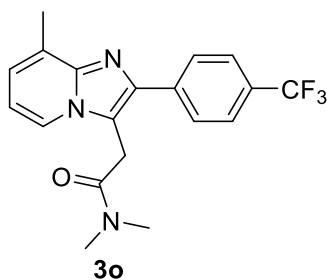
After purification, **3n** was obtained as yellow solid (42.4 mg, 57% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 174-175 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, *J* = 6.8 Hz, 1H), 7.58 – 7.52 (m, 4H), 6.99 (d, *J* = 6.8 Hz, 1H), 6.73 (t, *J* = 6.8 Hz, 1H), 4.03 (s, 2H), 2.93 (s, 3H), 2.89 (s, 3H), 2.62 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.11, 145.71, 142.56, 133.98, 131.84, 130.44, 127.37, 123.50, 122.44, 121.97, 114.78, 112.48, 37.58, 35.93, 30.28, 17.17.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₉BrN₃O 372.0706, found 372.0659.

N,N-Dimethyl-2-(8-methyl-2-(4-(trifluoromethyl)phenyl)imidazo[1,2-a]pyridin-3-yl)acetamide.



After purification, **3o** was obtained as white solid (45.6 mg, 63% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 177-178 °C.

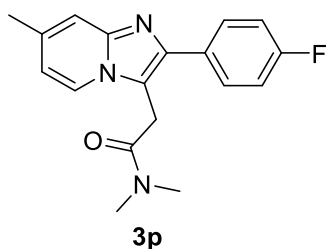
¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, *J* = 6.9 Hz, 1H), 7.79 (d, *J* = 8.0 Hz, 2H), 7.69 (d, *J* = 8.2 Hz, 2H), 7.00 (d, *J* = 6.8 Hz, 1H), 6.74 (t, *J* = 6.8 Hz, 1H), 4.06 (s, 2H), 2.94 (s, 3H), 2.92 (s, 3H), 2.63 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.01, 145.85, 142.27, 138.70, 129.66 (d, *J* = 32.4 Hz), 129.07, 127.57, 126.01 – 124.63 (m), 123.66, 123.02, 122.39, 115.42, 112.65, 37.54, 35.93, 30.17, 17.14 .

¹⁹F NMR (376 MHz, CDCl₃) δ -62.42 (s).

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₉H₁₉F₃N₃O 362.1475, found 362.1474.

2-(2-(4-Fluorophenyl)-7-methylimidazo[1,2-a]pyridin-3-yl)-N,N-dimethylacetamide.



After purification, **3p** was obtained as white solid (38.7 mg, 62% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 114-119 °C.

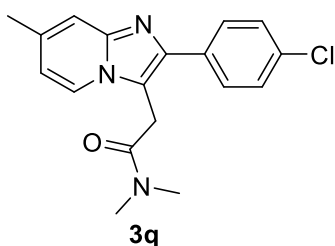
¹H NMR (400 MHz, CDCl₃) δ 8.05 (d, *J* = 7.0 Hz, 1H), 7.61 – 7.58 (m, 2H), 7.29 (d, *J* = 26.0 Hz, 1H), 7.11 (t, *J* = 8.7 Hz, 2H), 6.62 (d, *J* = 7.0 Hz, 1H), 4.02 (s, 2H), 2.90 (s, 3H), 2.84 (s, 3H), 2.36 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.21, 162.55 (d, *J* = 247.0 Hz), 145.59, 142.81, 135.56, 131.00 (d, *J* = 3.2 Hz), 130.28 (d, *J* = 8.1 Hz), 123.92, 115.72 (d, *J* = 3.6 Hz), 115.53, 114.94, 113.48, 37.51, 35.87, 30.17, 21.36.

¹⁹F NMR (376 MHz, CDCl₃) δ -114.32(s).

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₉FN₃O 312.1507, found 312.1507.

2-(2-(4-Chlorophenyl)-7-methylimidazo[1,2-*α*]pyridin-3-yl)-*N,N*-dimethylacetamide.



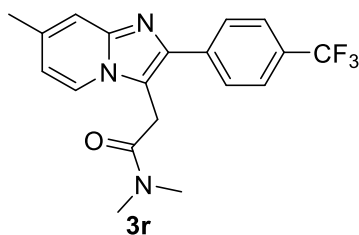
After purification, **3q** was obtained as light yellow solid (39.4 mg, 60% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 238-239 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.04 (d, *J* = 7.0 Hz, 1H), 7.57 (d, *J* = 8.3 Hz, 2H), 7.39 (d, *J* = 8.3 Hz, 2H), 7.33 (s, 1H), 6.63 (d, *J* = 7.0 Hz, 1H), 4.02 (s, 2H), 2.91 (s, 3H), 2.86 (s, 3H), 2.37 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.14, 145.69, 142.56, 135.69, 133.71, 133.41, 129.84, 128.89, 123.92, 115.77, 115.04, 113.79, 37.56, 35.91, 30.18, 21.39.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₉ClN₃O 328.1211, found 328.1211.

N,N-Dimethyl-2-(7-methyl-2-(4-(trifluoromethyl)phenyl)imidazo[1,2-*α*]pyridin-3-yl)acetamide.



After purification, **3r** was obtained as white solid (41.3 mg, 57% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 196-197 °C.

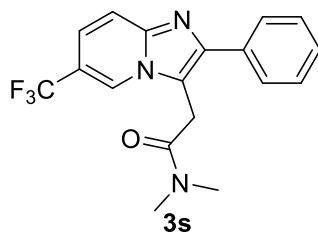
¹H NMR (400 MHz, CDCl₃) δ 8.03 (d, *J* = 6.8 Hz, 1H), 7.77 (d, *J* = 7.6 Hz, 2H), 7.69 (d, *J* = 7.6 Hz, 2H), 7.36 (s, 1H), 6.66 (d, *J* = 6.9 Hz, 1H), 4.06 (s, 2H), 2.94 (s, 3H), 2.92 (s, 3H), 2.39 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.03, 145.87, 142.32, 138.59, 135.98, 129.64 (d, *J* = 32.5 Hz), 128.80, 125.61 – 125.64 (m), 123.91, 123.00, 115.95, 115.27, 114.51, 37.57, 35.95, 30.13, 21.42.

¹⁹F NMR (376 MHz, CDCl₃) δ -62.42(s).

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₉H₁₉F₃N₃O 362.1475, found 362.1474.

***N,N*-Dimethyl-2-(2-phenyl-6-(trifluoromethyl)imidazo[1,2-*a*]pyridin-3-yl)acetamide.**



After purification, **3s** was obtained as white solid (41.1 mg, 59% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 190-192 °C.

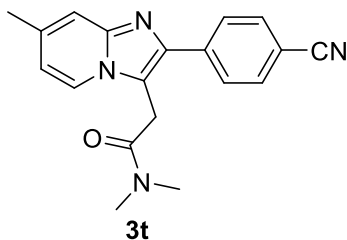
¹H NMR (400 MHz, CDCl₃) δ 8.59 (s, 1H), 7.70 (d, *J* = 9.4 Hz, 1H), 7.64 (d, *J* = 7.2 Hz, 2H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.39 (t, *J* = 7.3 Hz, 1H), 7.33 (d, *J* = 9.4 Hz, 1H), 4.12 (s, 2H), 2.97 (d, *J* = 1.2 Hz, 6H).

¹³C NMR (100 MHz, CDCl₃) δ 167.80, 145.97, 144.98, 133.99, 128.89, 128.75, 128.40, 124.06 (d, *J* = 6.1 Hz), 123.86 (d, *J* = 271.7 Hz), 120.38 (d, *J* = 2.4 Hz), 118.08, 116.53 (d, *J* = 34.0 Hz), 116.14, 37.66, 36.00, 29.72.

¹⁹F NMR (376 MHz, CDCl₃) δ -61.84(s).

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₇F₃N₃O 348.1318, found 348.1318.

2-(2-(4-Cyanophenyl)-7-methylimidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylacetamide.



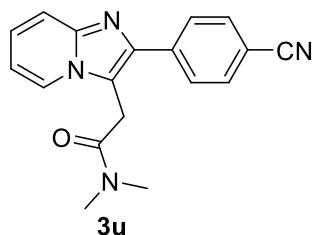
After purification, **3t** was obtained as white solid (30.6 mg, 48% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 258-261 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, *J* = 7.0 Hz, 1H), 7.79 (d, *J* = 8.4 Hz, 2H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.36 (s, 1H), 6.68 (dd, *J* = 7.0, 1.5 Hz, 1H), 4.07 (s, 2H), 2.97 (s, 6H), 2.40 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 167.83, 145.96, 141.84, 139.66, 136.27, 132.50, 129.02, 123.81, 119.05, 116.04, 115.49, 114.95, 111.16, 37.62, 36.02, 30.09, 21.46.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₉H₁₉N₄O 319.1553, found 319.1553.

2-(2-(4-Cyanophenyl)imidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylacetamide.



After purification, **3u** was obtained as white solid (34.2 mg, 56% yield), eluent: petroleum

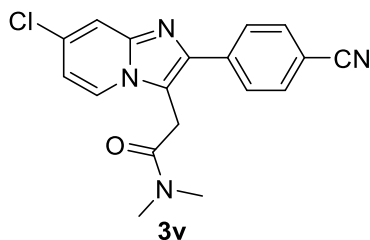
ether/ethyl acetate = 1:1, m.p. = 246-249 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.07 (d, *J* = 6.9 Hz, 1H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.71 (d, *J* = 8.2 Hz, 2H), 7.60 (d, *J* = 9.1 Hz, 1H), 7.24 – 7.19 (m, 1H), 6.83 (t, *J* = 6.8 Hz, 1H), 4.07 (s, 2H), 2.99 (s, 3H), 2.97 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 167.66, 145.44, 142.17, 139.44, 132.47, 129.04, 125.16, 124.49, 118.96, 117.69, 115.61, 112.77, 111.25, 37.58, 35.98, 29.93.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₇N₄O 305.1397, found 305.1396.

2-(7-Chloro-2-(4-cyanophenyl)imidazo[1,2-*α*]pyridin-3-yl)-*N,N*-dimethylacetamide.



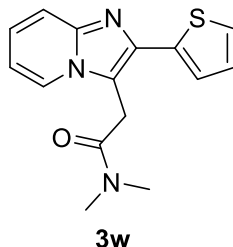
After purification, **3v** was obtained as white solid (38.6 mg, 57% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 276-281 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.07 (d, *J* = 6.9 Hz, 1H), 7.76 (d, *J* = 5.0 Hz, 4H), 7.61 (s, 1H), 6.83 (d, *J* = 6.2 Hz, 1H), 4.08 (s, 2H), 3.00 (s, 3H), 2.99 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 167.42, 145.24, 142.98, 138.98, 132.62, 131.85, 129.09, 125.12, 118.90, 116.50, 115.96, 114.43, 111.62, 37.67, 36.05, 29.89.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₈H₁₆ClN₄O 339.1007, found 339.1007.

N,N-Dimethyl-2-(2-(thiophen-2-yl)imidazo[1,2-*α*]pyridin-3-yl)acetamide.



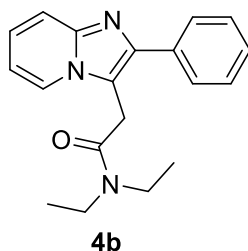
After purification, **3w** was obtained as yellow solid (33.2 mg, 58% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 156-157 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.18 (d, *J* = 6.3 Hz, 1H), 7.58 (d, *J* = 8.9 Hz, 1H), 7.43 – 7.30 (m, 2H), 7.16 (t, *J* = 7.2 Hz, 1H), 7.10 (d, *J* = 3.3 Hz, 1H), 6.78 (t, *J* = 5.7 Hz, 1H), 4.17 (s, 2H), 2.96 (s, 3H), 2.92 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 167.92, 145.17, 138.09, 137.27, 127.70, 125.89, 125.23, 124.82, 124.70, 117.22, 113.90, 112.41, 37.54, 35.94, 30.42.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₅H₁₆N₃OS 286.1009, found 286.1006.

***N,N*-Diethyl-2-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)acetamide.**



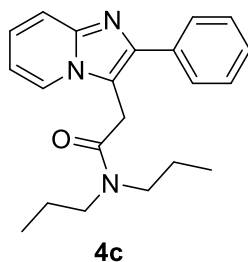
After purification, **4b** was obtained as yellow oil (40.1 mg, 65% yield), eluent: petroleum ether/ethyl acetate = 1:1.

¹H NMR (400 MHz, CDCl₃) δ 8.25 (d, *J* = 6.9 Hz, 1H), 7.64 (d, *J* = 8.2 Hz, 2H), 7.61 (d, *J* = 9.1 Hz, 1H), 7.44 (t, *J* = 7.7 Hz, 2H), 7.35 (t, *J* = 7.4 Hz, 1H), 7.18 (t, *J* = 8.0 Hz, 1H), 6.80 (t, *J* = 6.8 Hz, 1H), 4.09 (s, 2H), 3.32 (q, *J* = 7.1 Hz, 2H), 3.13 (q, *J* = 7.1 Hz, 2H), 1.06 (t, *J* = 7.1 Hz, 3H), 0.89 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 167.37, 145.19, 143.86, 134.58, 128.70, 127.93, 124.86, 124.51, 117.35, 114.61, 112.30, 42.36, 40.70, 30.50, 14.11, 13.09.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₁₉H₂₂N₃O 308.1757, found 308.1757.

2-(2-Phenylimidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dipropylacetamide.



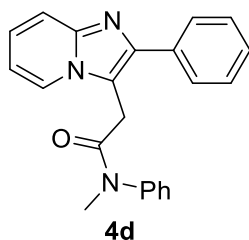
After purification, **4c** was obtained as white solid (39.0 mg, 58% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 81-82 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.32 (d, *J* = 6.9 Hz, 1H), 7.67 (d, *J* = 8.1 Hz, 2H), 7.61 (d, *J* = 9.1 Hz, 1H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.21 – 7.14 (m, 1H), 6.80 (t, *J* = 6.8 Hz, 1H), 4.12 (s, 2H), 3.23 (t, *J* = 8.0 Hz, 2H), 3.01 (t, *J* = 8.0 Hz, 2H), 1.52 – 1.43 (m, 2H), 1.42 – 1.33 (m, 2H), 0.80 (t, *J* = 7.4 Hz, 3H), 0.60 (t, *J* = 7.4 Hz, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 167.83, 145.26, 143.88, 134.68, 128.80, 128.76, 127.96, 125.03, 124.48, 117.39, 114.66, 112.24, 49.83, 47.97, 30.69, 22.16, 20.95, 11.35, 10.86.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₂₁H₂₆N₃O 336.2070, found 336.2071.

***N*-Methyl-*N*-phenyl-2-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)acetamide.**



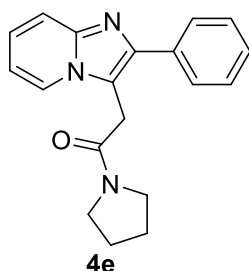
After purification, **4d** was obtained as yellow oil (40.4 mg, 59% yield), eluent: petroleum ether/ethyl acetate = 1:1.

¹H NMR (400 MHz, CDCl₃) δ 8.17 (d, *J* = 6.8 Hz, 1H), 7.60 – 7.58 (m, 3H), 7.40 (t, *J* = 7.3 Hz, 2H), 7.36 – 7.28 (m, 4H), 7.21 – 7.16 (m, 3H), 6.83 (t, *J* = 6.8 Hz, 1H), 3.93 (s, 2H), 3.32 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 168.58, 144.96, 143.98, 143.16, 134.39, 130.01, 128.45, 128.38, 128.22, 127.55, 126.87, 124.30, 124.22, 117.34, 114.52, 112.00, 37.81, 30.52.

HRMS (EI) *m/z*: [M+H]⁺ calcd for C₂₂H₂₀N₃O 342.1601, found 342.1600.

2-(2-Phenylimidazo[1,2-*α*]pyridin-3-yl)-1-(pyrrolidin-1-yl)ethan-1-one.



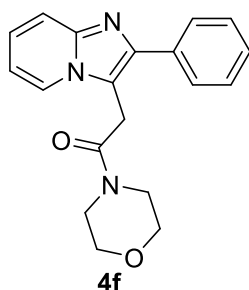
After purification, **4e** was obtained as white solid (38.0 mg, 62% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 155-157 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.22 (d, *J* = 6.7 Hz, 1H), 7.64 (d, *J* = 7.3 Hz, 2H), 7.58 (d, *J* = 9.0 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.32 (t, *J* = 7.1 Hz, 1H), 7.14 (t, *J* = 7.8 Hz, 1H), 6.76 (t, *J* = 6.7 Hz, 1H), 4.01 (s, 2H), 3.40 (t, *J* = 6.3 Hz, 2H), 3.18 (t, *J* = 6.3 Hz, 2H), 1.82 – 1.74 (m, 4H).

¹³C NMR (100 MHz, CDCl₃) δ 166.63, 145.07, 144.08, 134.67, 128.61, 127.75, 124.76, 124.43, 117.25, 114.15, 112.14, 46.73, 46.07, 31.31, 26.15, 24.21.

The spectral data were in accordance with the literature.⁴

1-Morpholino-2-(2-phenylimidazo[1,2-*α*]pyridin-3-yl)ethan-1-one.



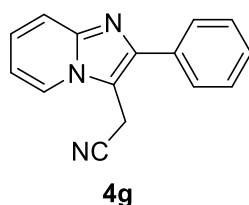
After purification, **4f** was obtained as white solid (35.4 mg, 55% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 206-209 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.28 (d, *J* = 6.9 Hz, 1H), 7.64 – 7.61 (m, 3H), 7.47 – 7.43 (m, 2H), 7.39 – 7.35 (m, 1H), 7.22 – 7.18 (m, 1H), 6.83 (td, *J* = 6.8, 1.1 Hz, 1H), 4.11 (s, 2H), 3.54 (s, 4H), 3.30 – 3.19 (m, 4H).

¹³C NMR (100 MHz, CDCl₃) δ 166.85, 145.32, 143.97, 134.54, 128.91, 128.86, 128.15, 124.81, 124.71, 117.50, 113.87, 112.45, 66.86, 66.50, 46.44, 42.50, 29.98.

The spectral data were in accordance with the literature.⁵

2-(2-Phenylimidazo[1,2-*a*]pyridin-3-yl)acetonitrile.



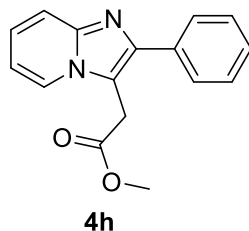
After purification, **4g** was obtained as yellow solid (18.7 mg, 40% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 97-101 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.06 (d, *J* = 6.9 Hz, 1H), 7.73 – 7.68 (m, 3H), 7.51 (t, *J* = 7.4 Hz, 2H), 7.43 (t, *J* = 7.4 Hz, 1H), 7.34 – 7.30 (m, 1H), 6.99 (td, *J* = 6.8, 1.0 Hz, 1H), 4.15 (s, 2H).

¹³C NMR (100 MHz, CDCl₃) δ 145.58, 145.32, 133.25, 129.09, 128.68, 125.45, 122.98, 118.18, 115.05, 113.50, 107.87, 14.03.

The spectral data were in accordance with the literature.²

Methyl 2-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)acetate.



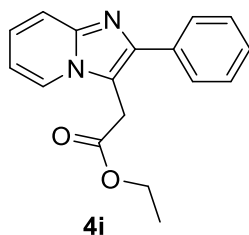
After purification, **4h** was obtained as yellow solid (32.6 mg, 61% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 155-157 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.16 (d, *J* = 6.9 Hz, 1H), 7.89 – 7.87 (m, 2H), 7.73 (d, *J* = 9.1 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.31 – 7.27 (m, 1H), 6.93 (t, *J* = 6.8 Hz, 1H), 4.13 (s, 2H), 3.82 (s, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 170.01, 145.18, 144.79, 134.16, 128.79, 128.72, 128.08, 124.66, 123.79, 117.78, 112.88, 112.61, 52.70, 30.73.

The spectral data were in accordance with the literature.⁶

Ethyl 2-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)acetate.



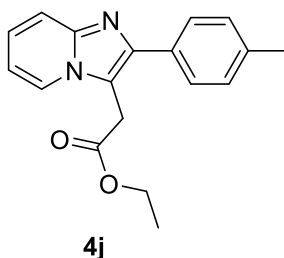
After purification, **4i** was obtained as white solid (30.4 mg, 54% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 161-162 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 6.8 Hz, 1H), 7.84 (d, *J* = 7.9 Hz, 2H), 7.66 (d, *J* = 9.1 Hz, 1H), 7.47 (t, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.3 Hz, 1H), 7.22 (t, *J* = 8.0 Hz, 1H), 6.85 (t, *J* = 6.8 Hz, 1H), 4.21 (q, *J* = 7.1 Hz, 2H), 4.04 (s, 2H), 1.27 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 169.53, 145.12, 144.70, 134.14, 128.73, 128.69, 128.01, 124.62, 123.81, 117.67, 113.05, 112.49, 61.74, 30.92, 14.26.

The spectral data were in accordance with the literature.⁶

Ethyl 2-(2-(*p*-tolyl)imidazo[1,2-*a*]pyridin-3-yl)acetate.



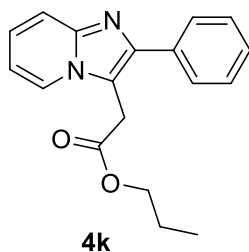
After purification, **4j** was obtained as white solid (25.4 mg, 43% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 121-123 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.10 (d, *J* = 6.9 Hz, 1H), 7.73 (d, *J* = 8.1 Hz, 2H), 7.64 (d, *J* = 9.1 Hz, 1H), 7.28 (d, *J* = 8.0 Hz, 2H), 7.21 – 7.17 (m, 1H), 6.83 (t, *J* = 6.8 Hz, 1H), 4.20 (q, *J* = 7.1 Hz, 2H), 4.01 (s, 2H), 2.39 (s, 3H), 1.26 (t, *J* = 7.1 Hz, 3H).

¹³C NMR (100 MHz, CDCl₃) δ 169.53, 145.01, 144.70, 137.76, 131.22, 129.41, 128.51, 124.46, 123.73, 117.53, 112.74, 112.34, 61.65, 30.91, 21.36, 14.23.

The spectral data were in accordance with the literature.³

Propyl 2-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)acetate.



After purification, **4k** was obtained as white solid (27.2 mg, 46% yield), eluent: petroleum ether/ethyl acetate = 1:1, m.p. = 162-167 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 6.9 Hz, 1H), 7.84 (d, *J* = 7.1 Hz, 2H), 7.65 (d, *J* = 9.1

Hz, 1H), 7.47 (t, $J = 7.5$ Hz, 2H), 7.44 – 7.32 (m, 1H), 7.21 (ddd, $J = 9.0, 6.7, 1.2$ Hz, 1H), 6.85 (td, $J = 6.8, 1.1$ Hz, 1H), 4.11 (t, $J = 6.7$ Hz, 2H), 4.04 (s, 2H), 2.29 – 1.43 (m, 2H), 0.89 (t, $J = 7.4$ Hz, 3H).

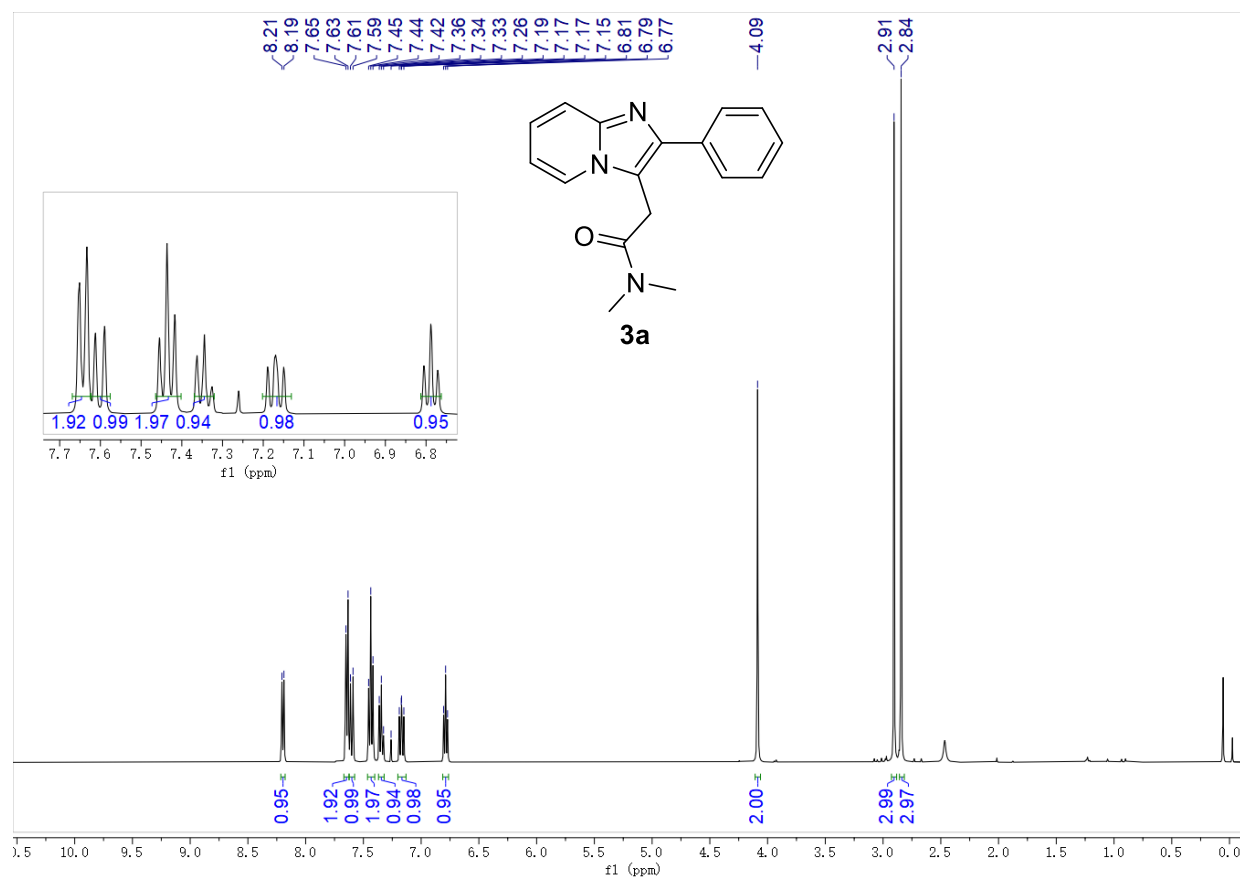
^{13}C NMR (100 MHz, CDCl_3) δ 169.58, 145.08, 144.63, 134.11, 128.70, 128.65, 127.97, 124.59, 123.79, 117.63, 113.04, 112.45, 67.28, 30.90, 21.96, 10.40.

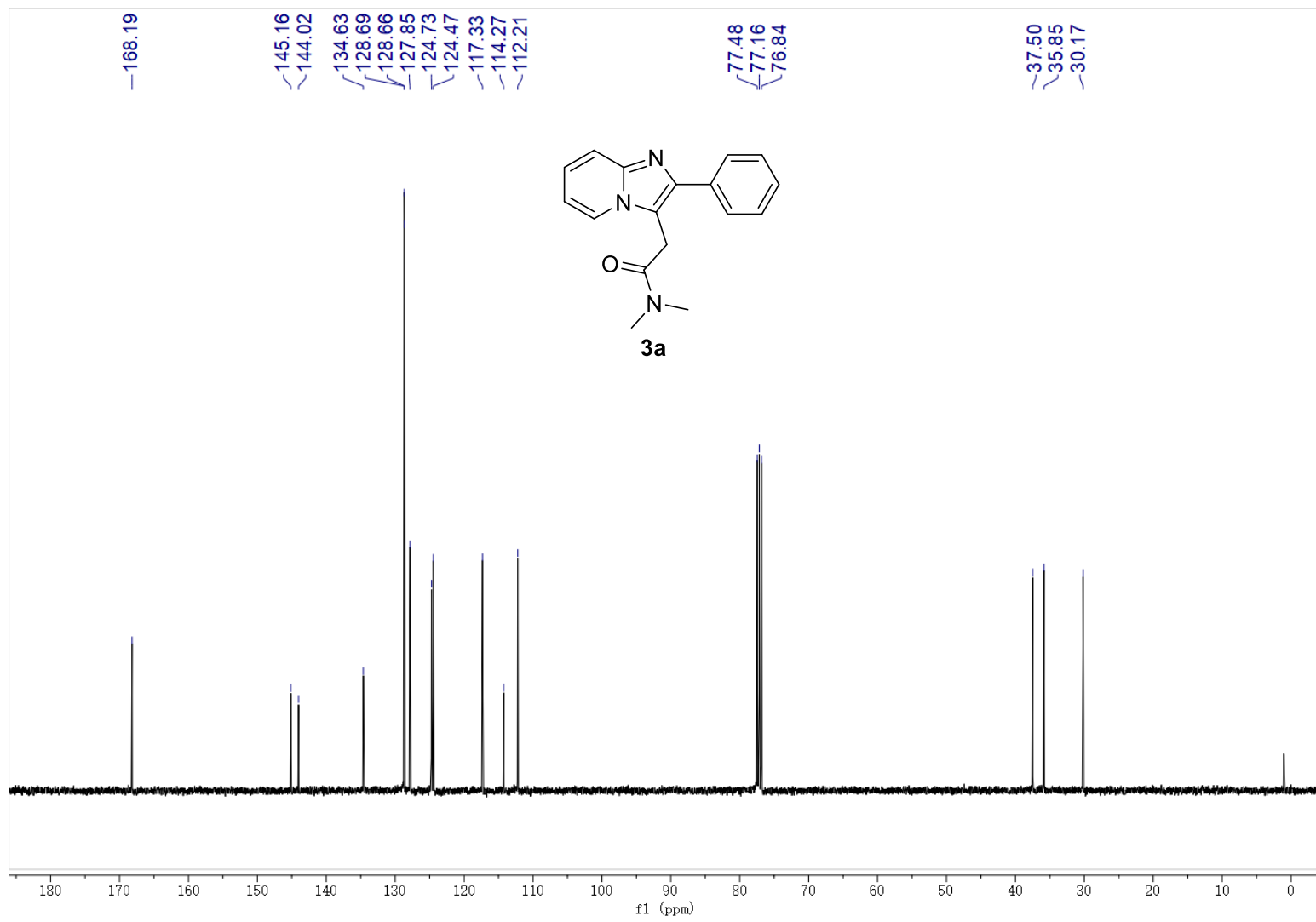
HRMS (EI) m/z : $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{19}\text{N}_2\text{O}_2$ 295.1441, found 295.1441.

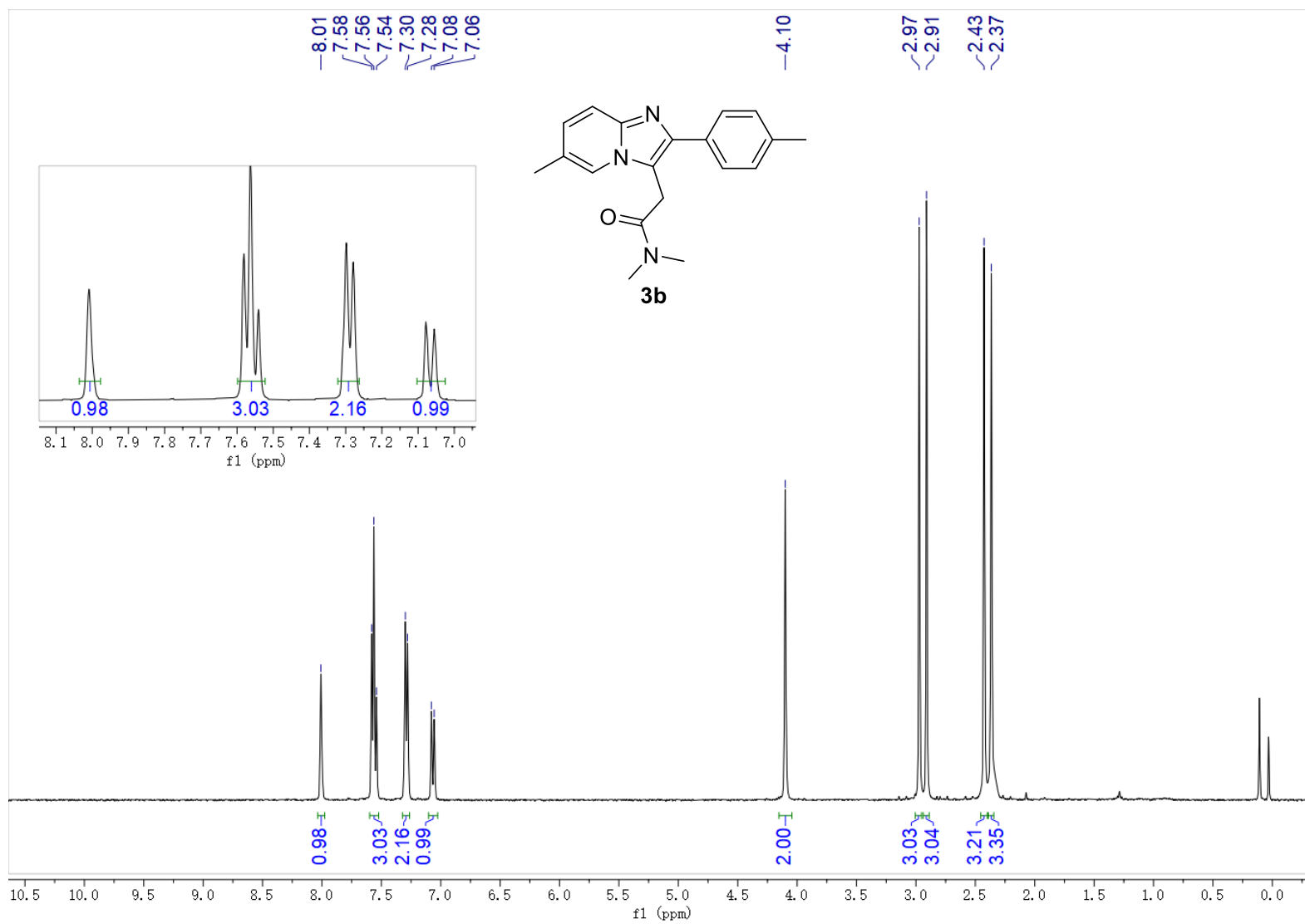
7. References

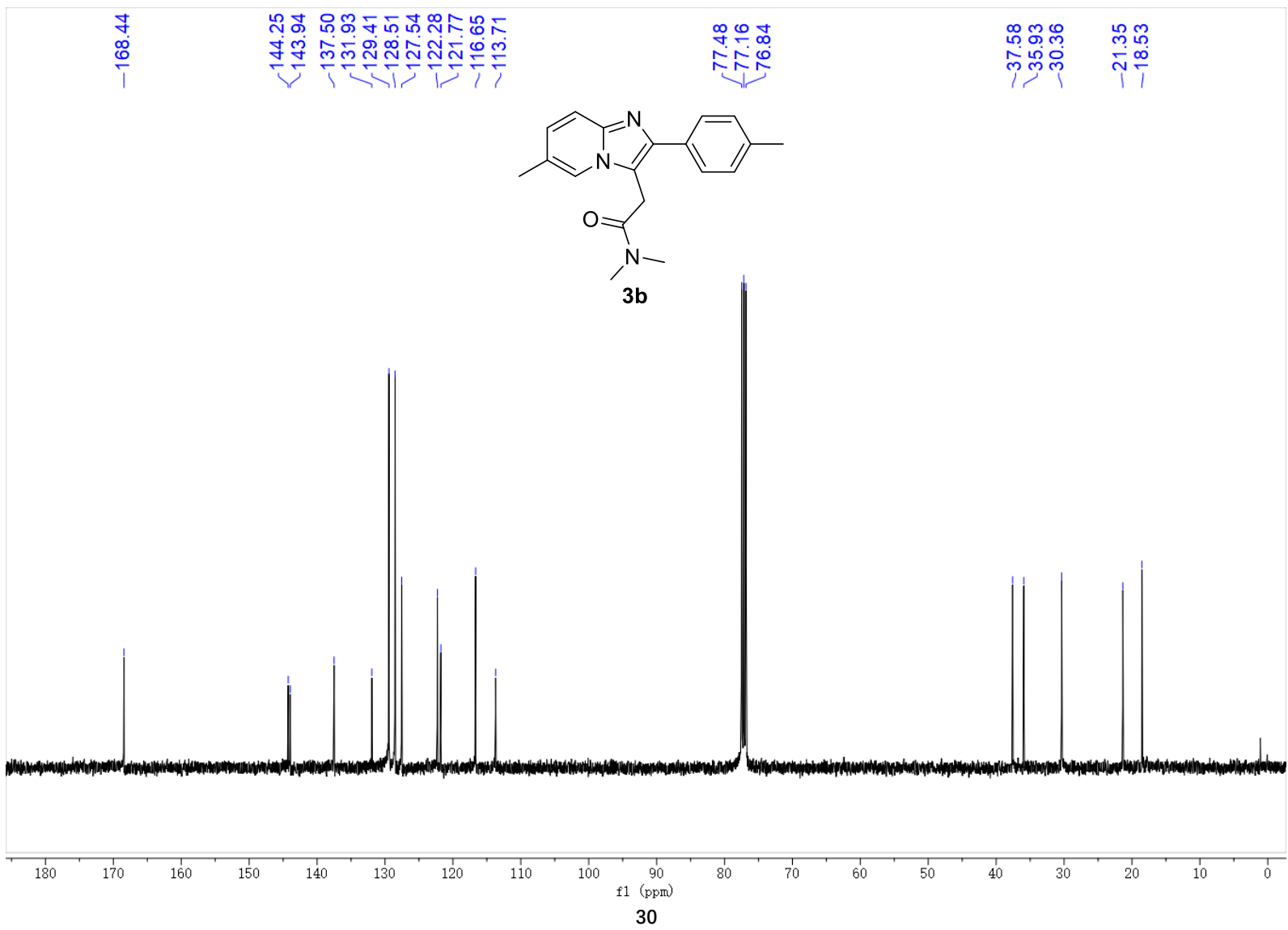
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5. P. López-Mendoza, J. E. Díaz, A. E. Loaiza and L. D. Miranda, *Tetrahedron*, 2018, **74**, 5494.
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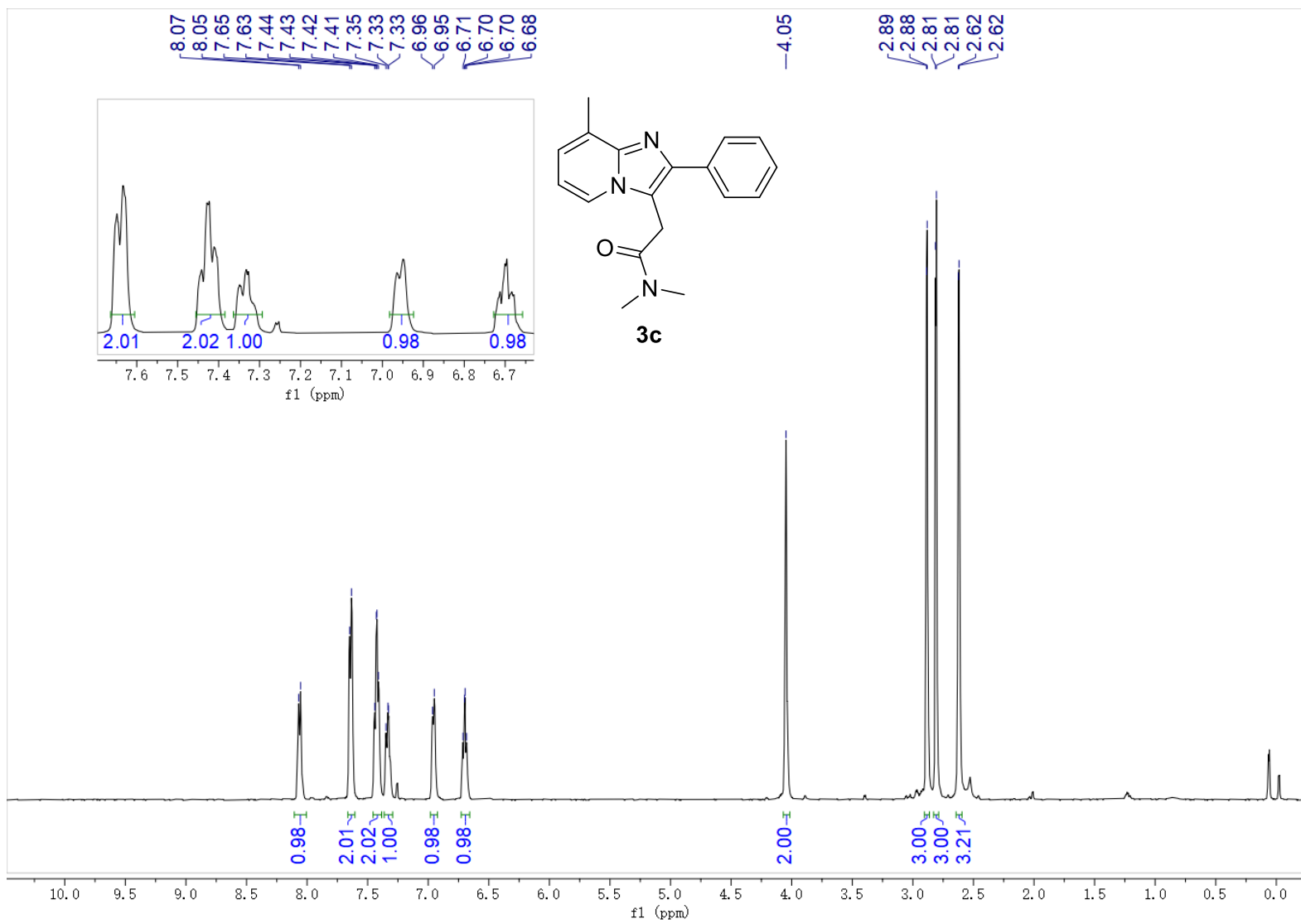
8. NMR spectra

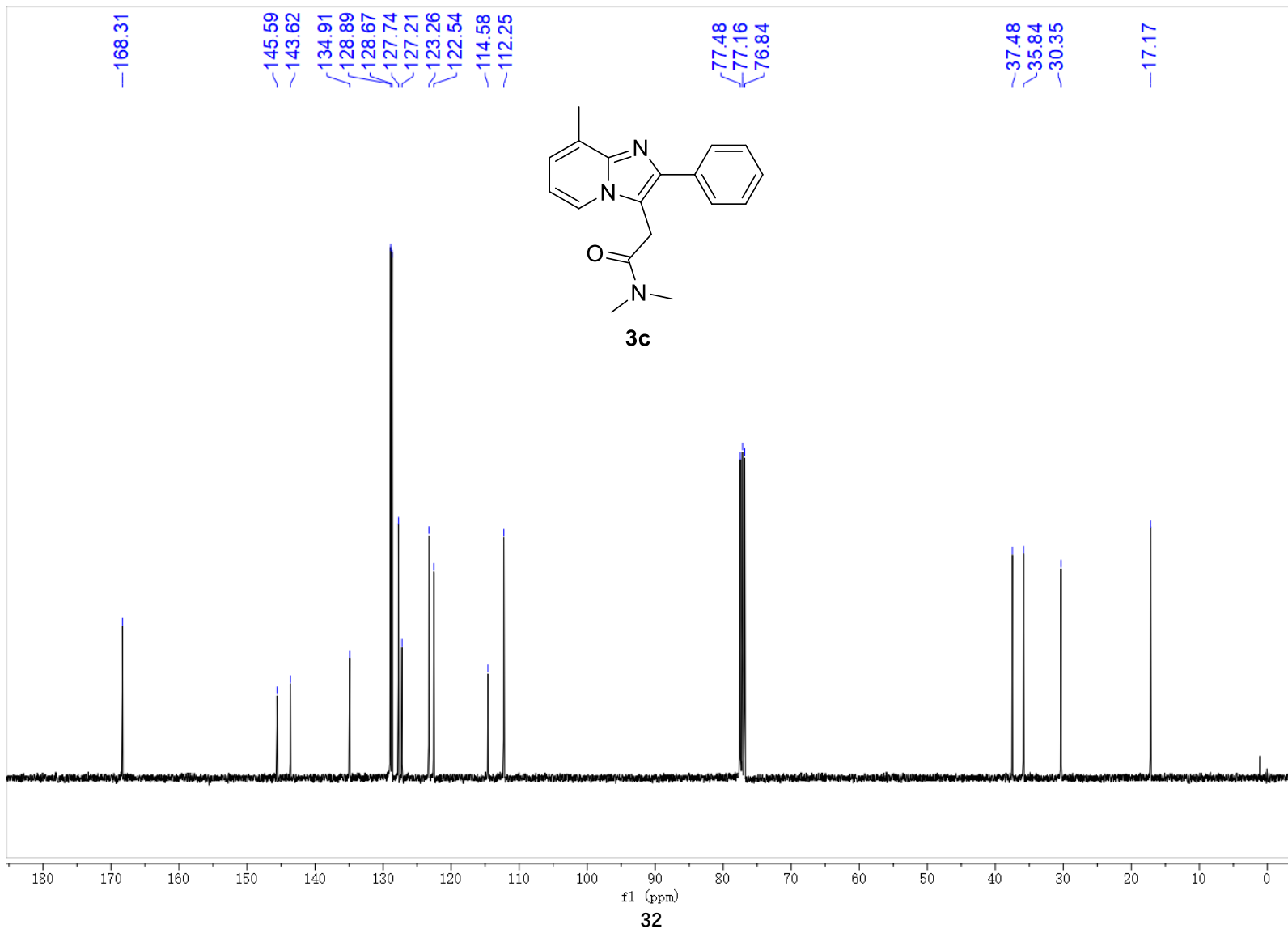


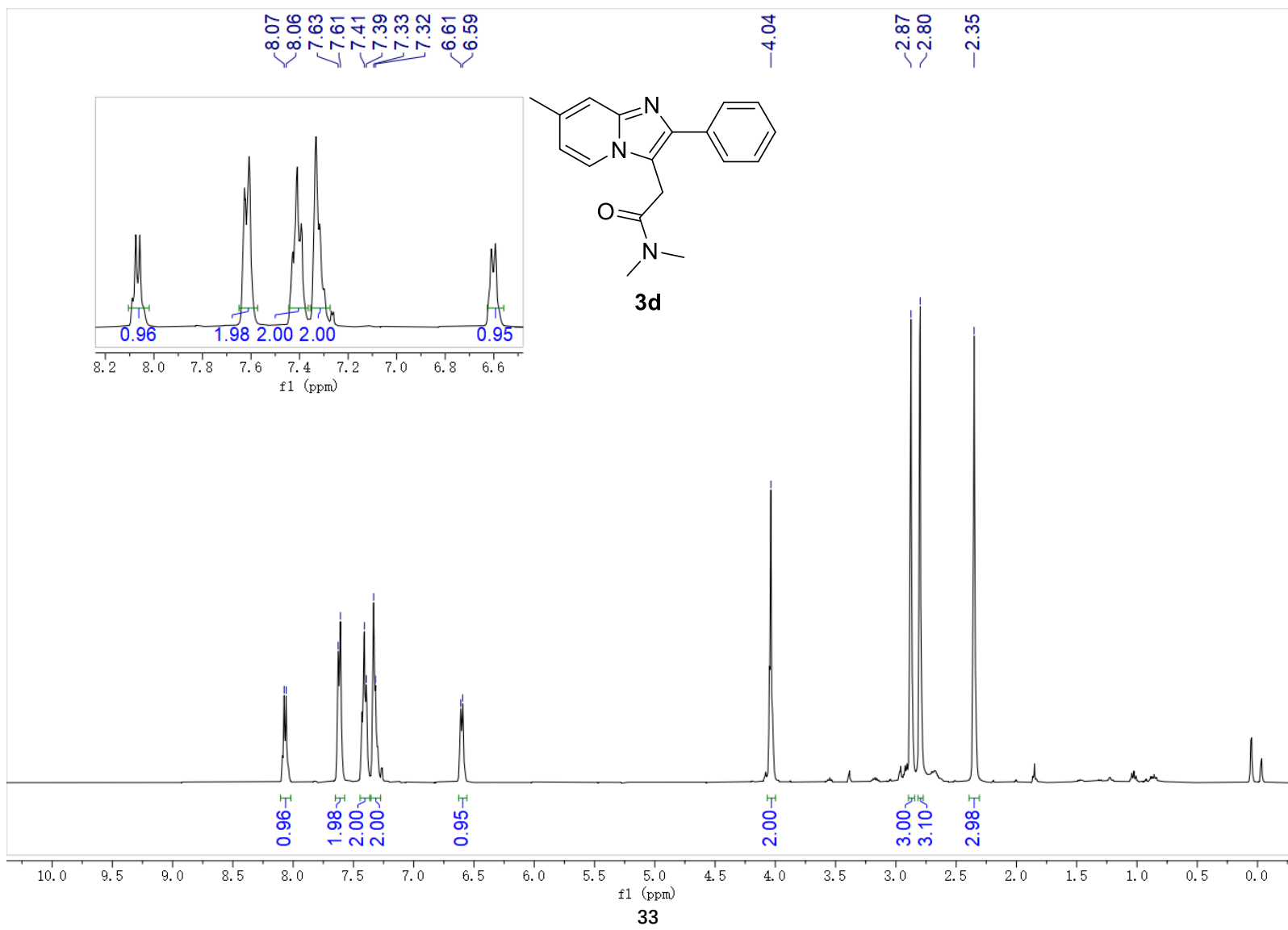


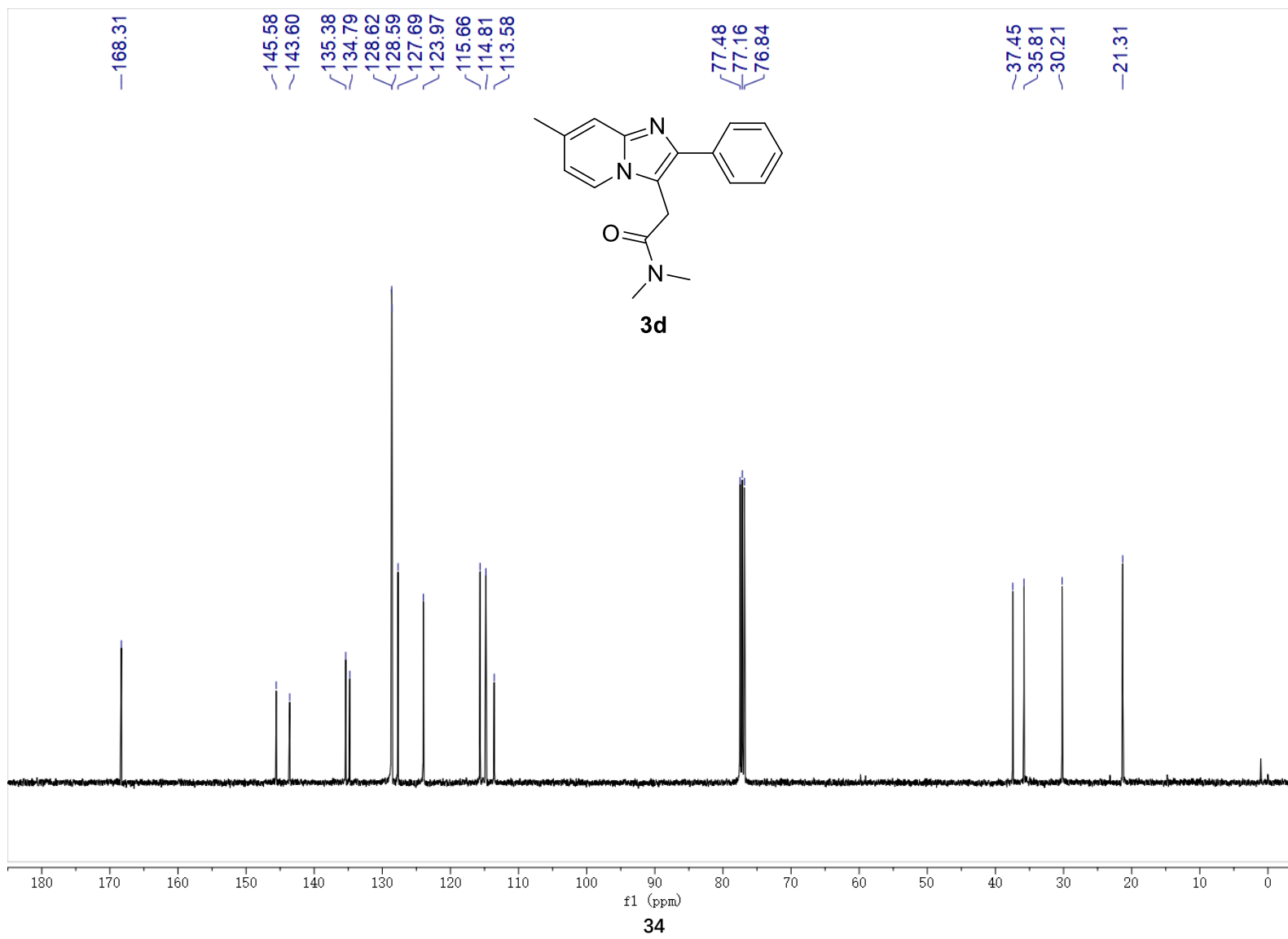


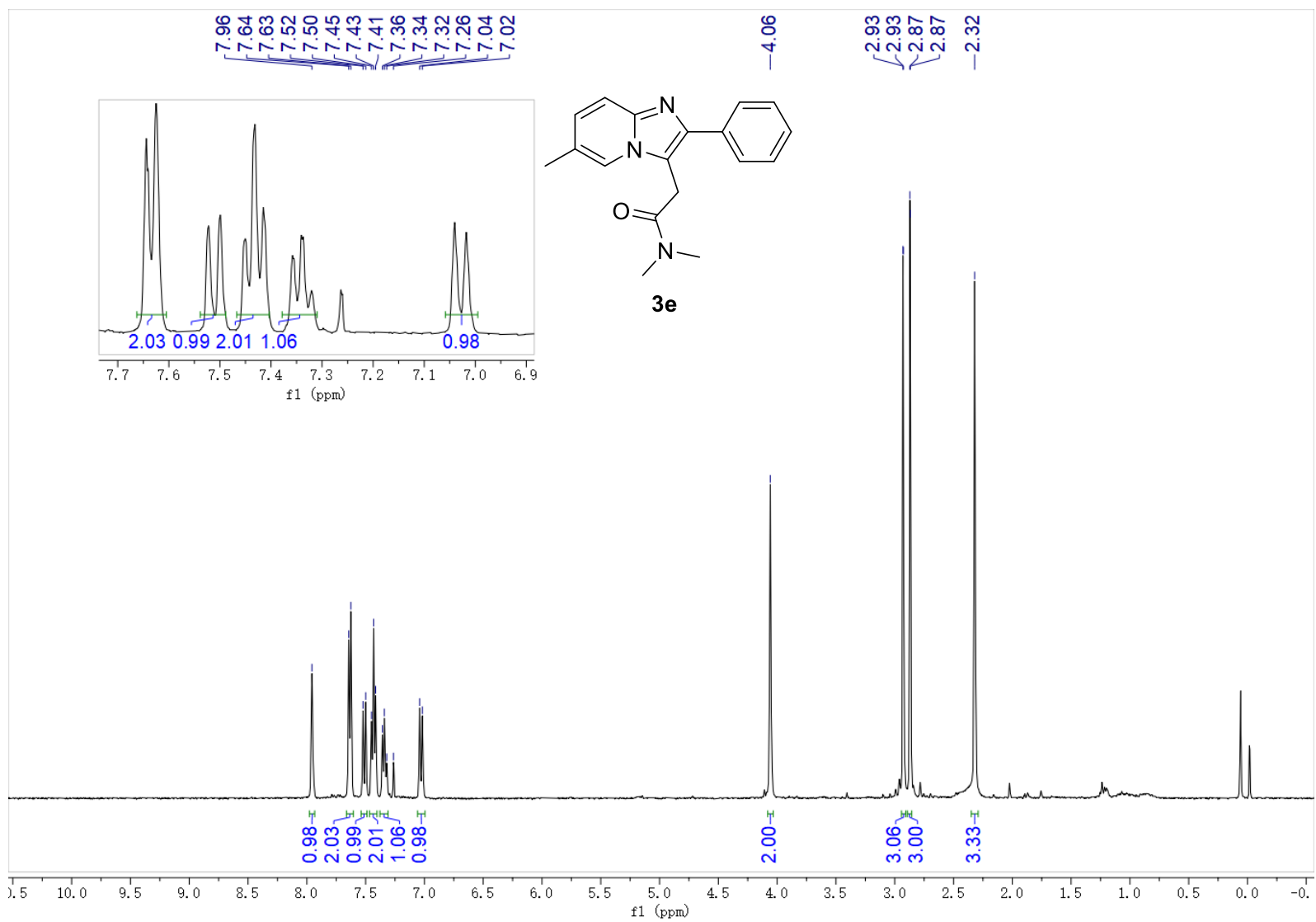


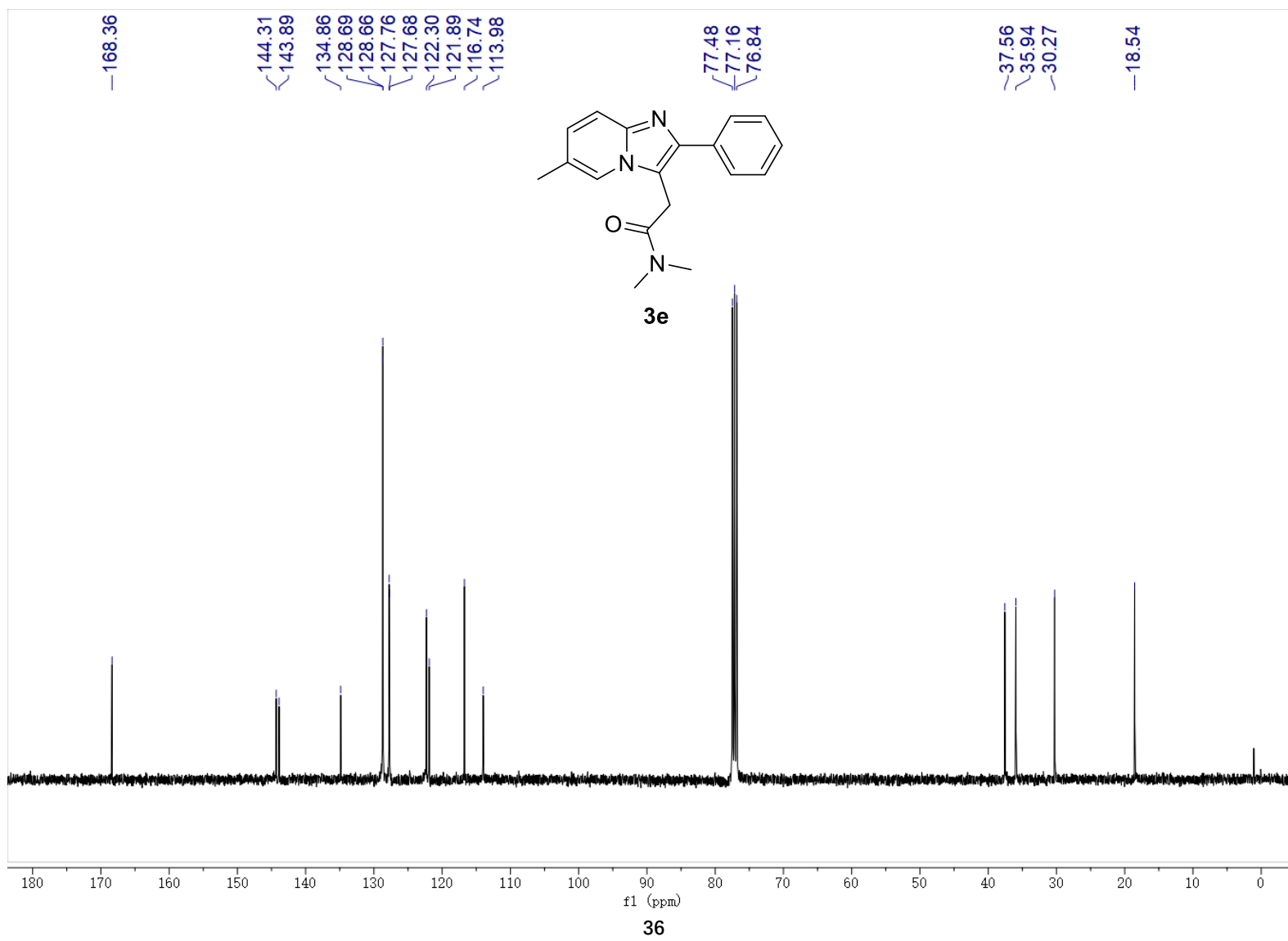


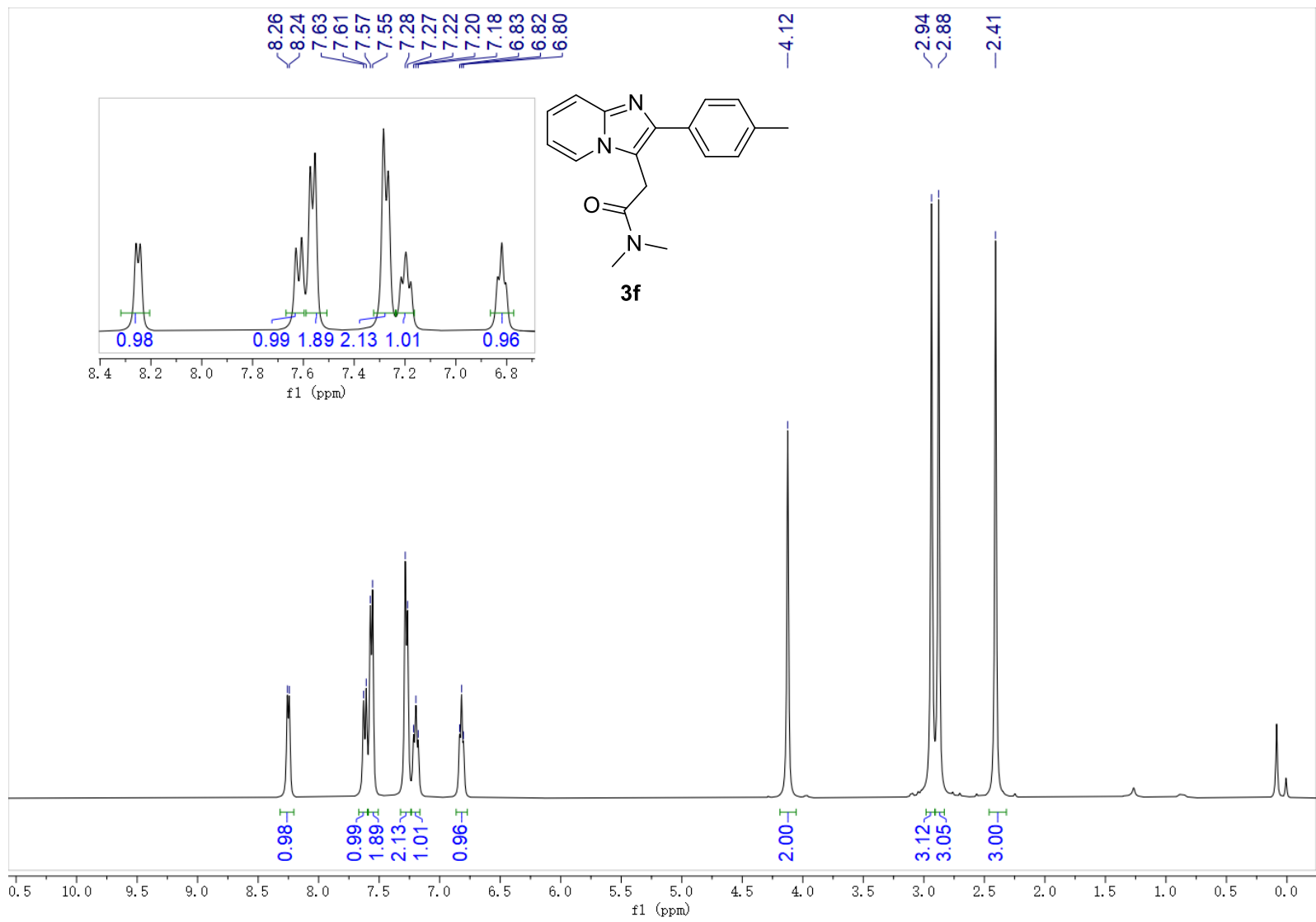


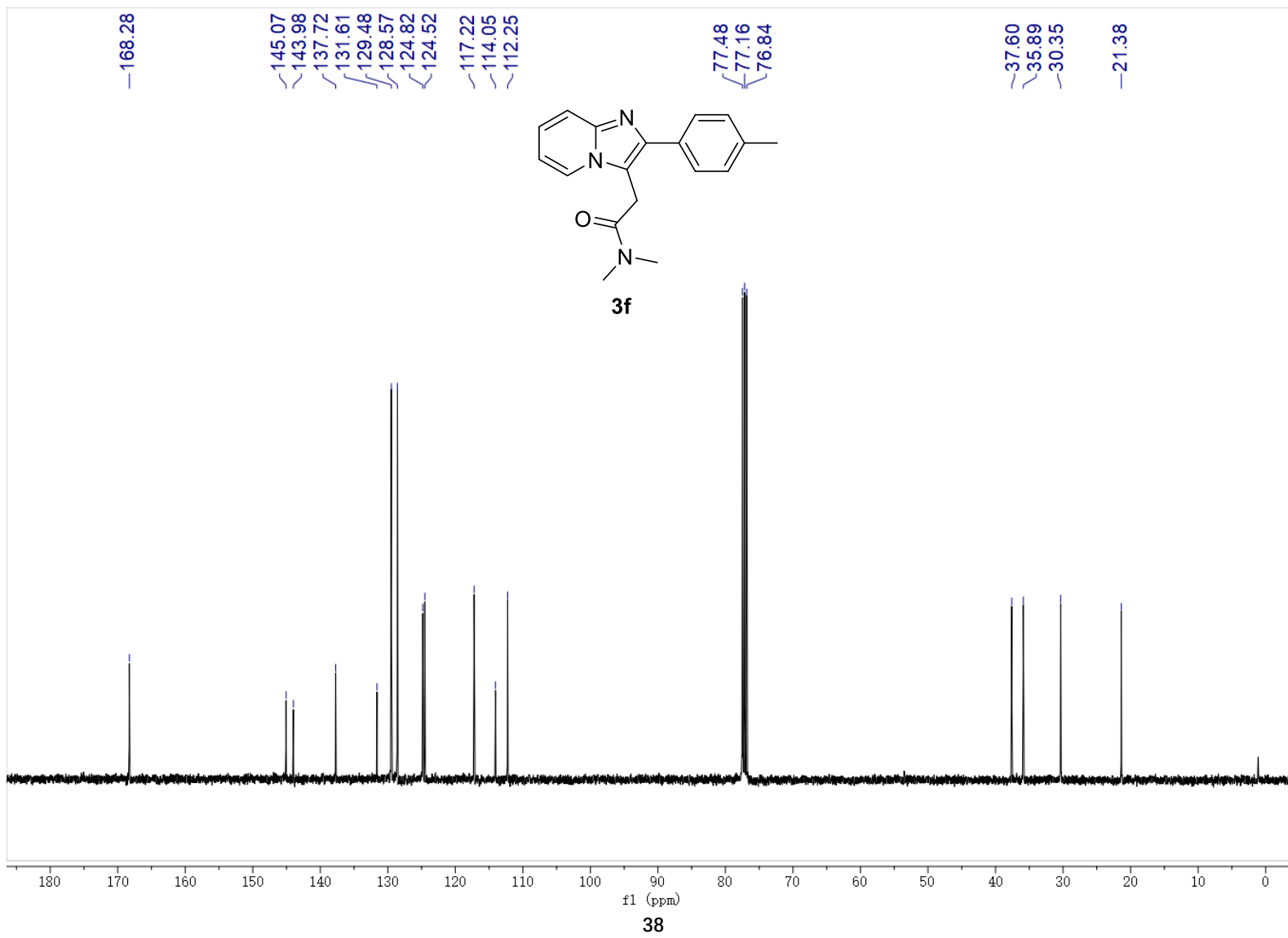


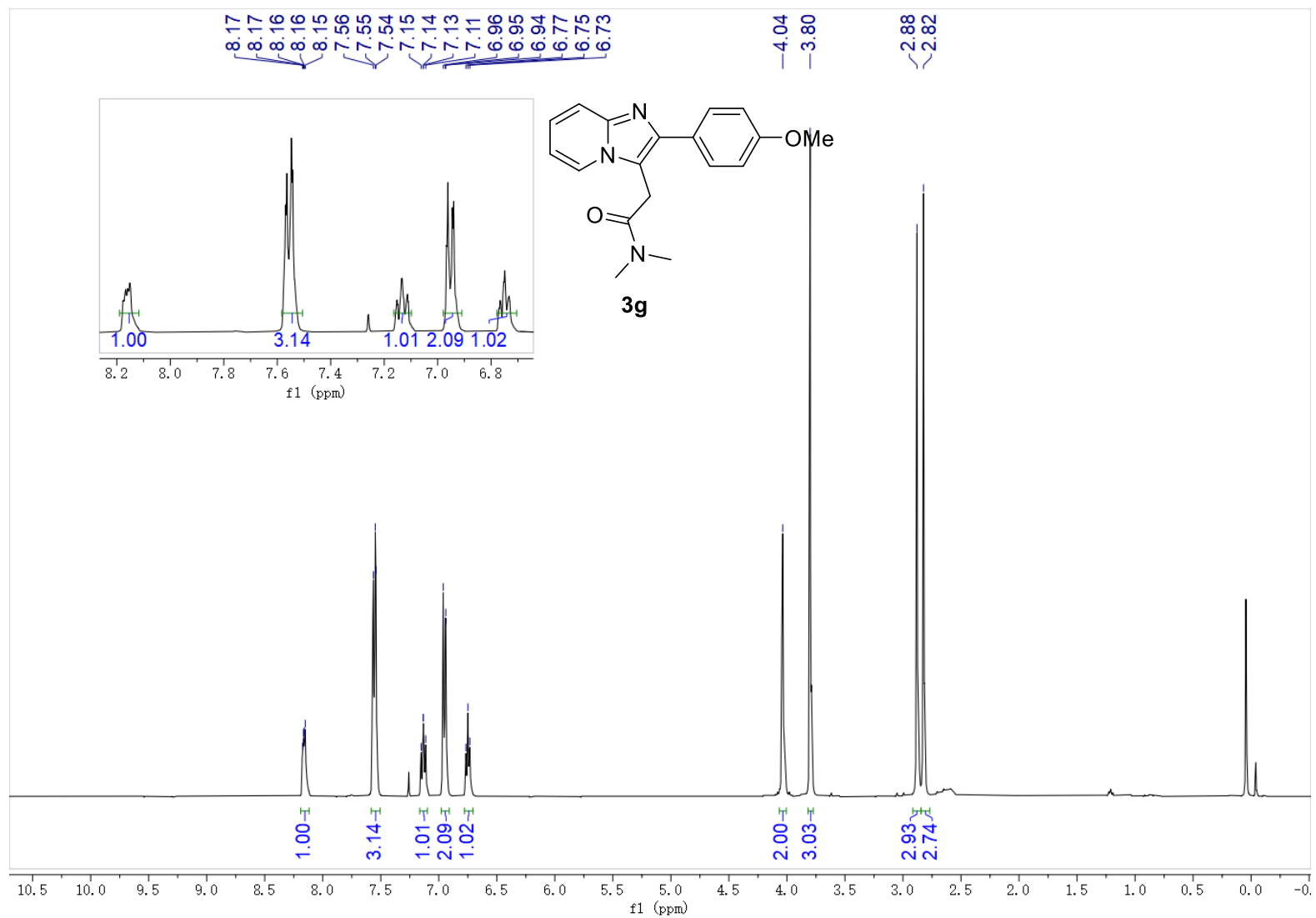


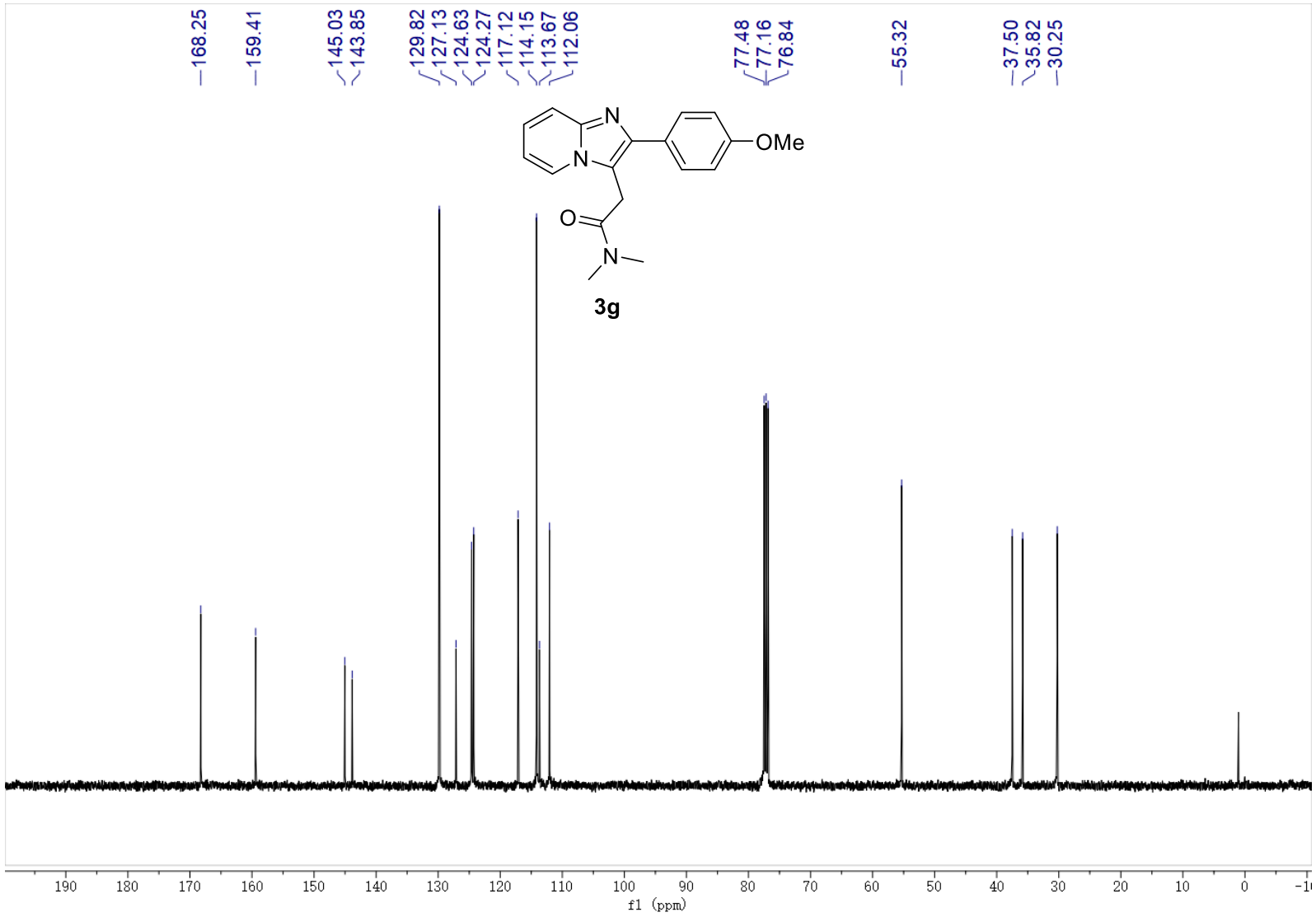


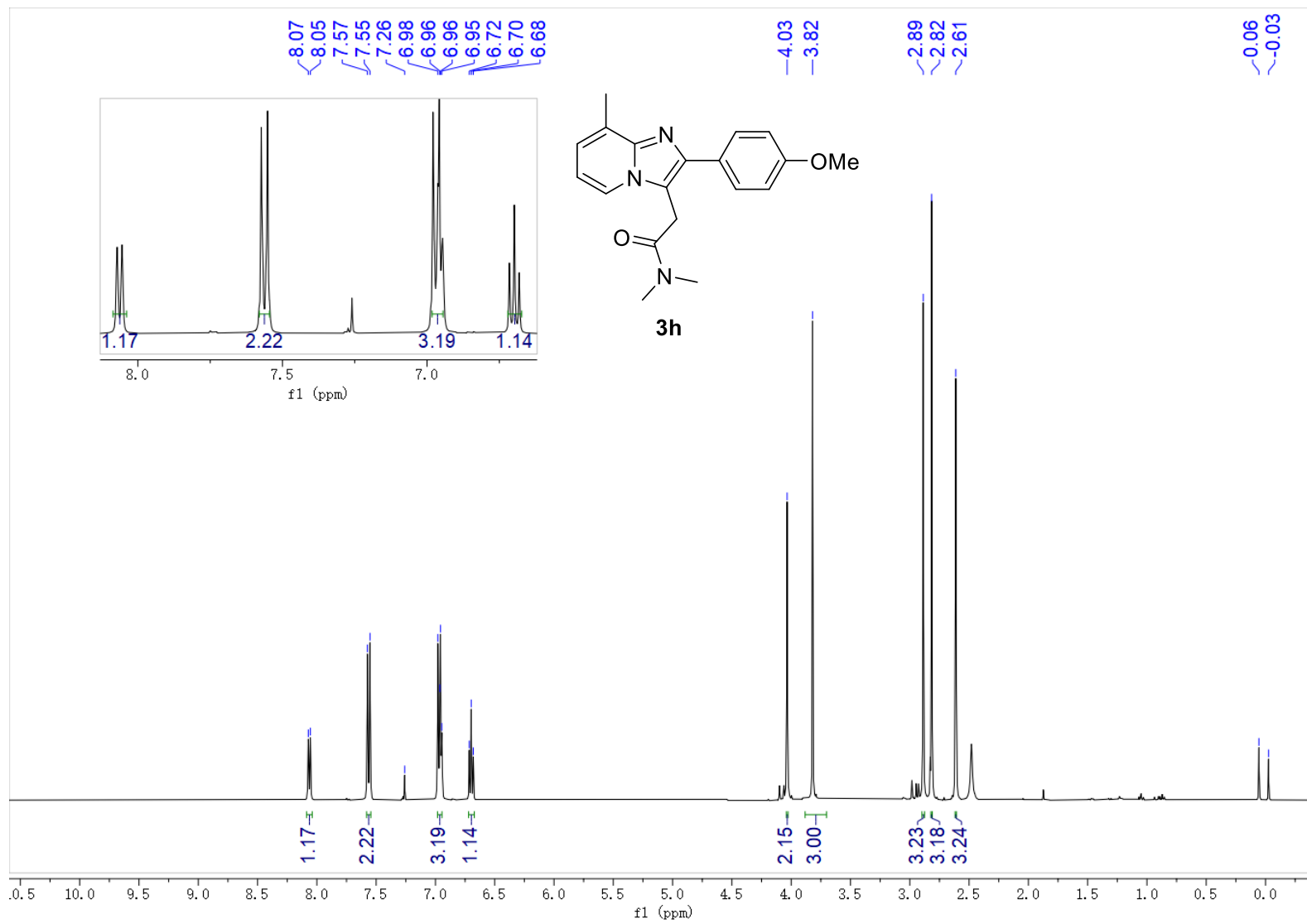


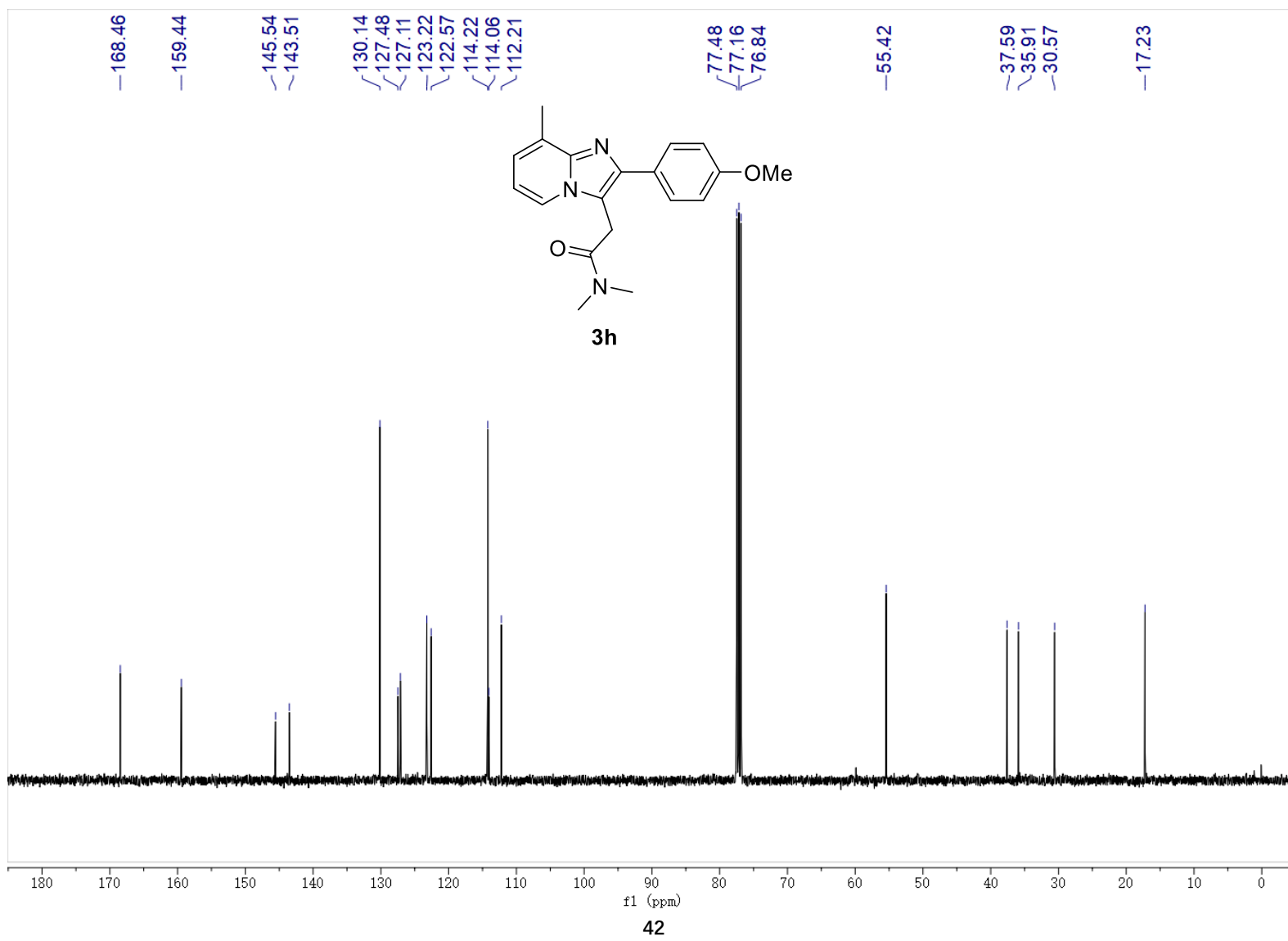


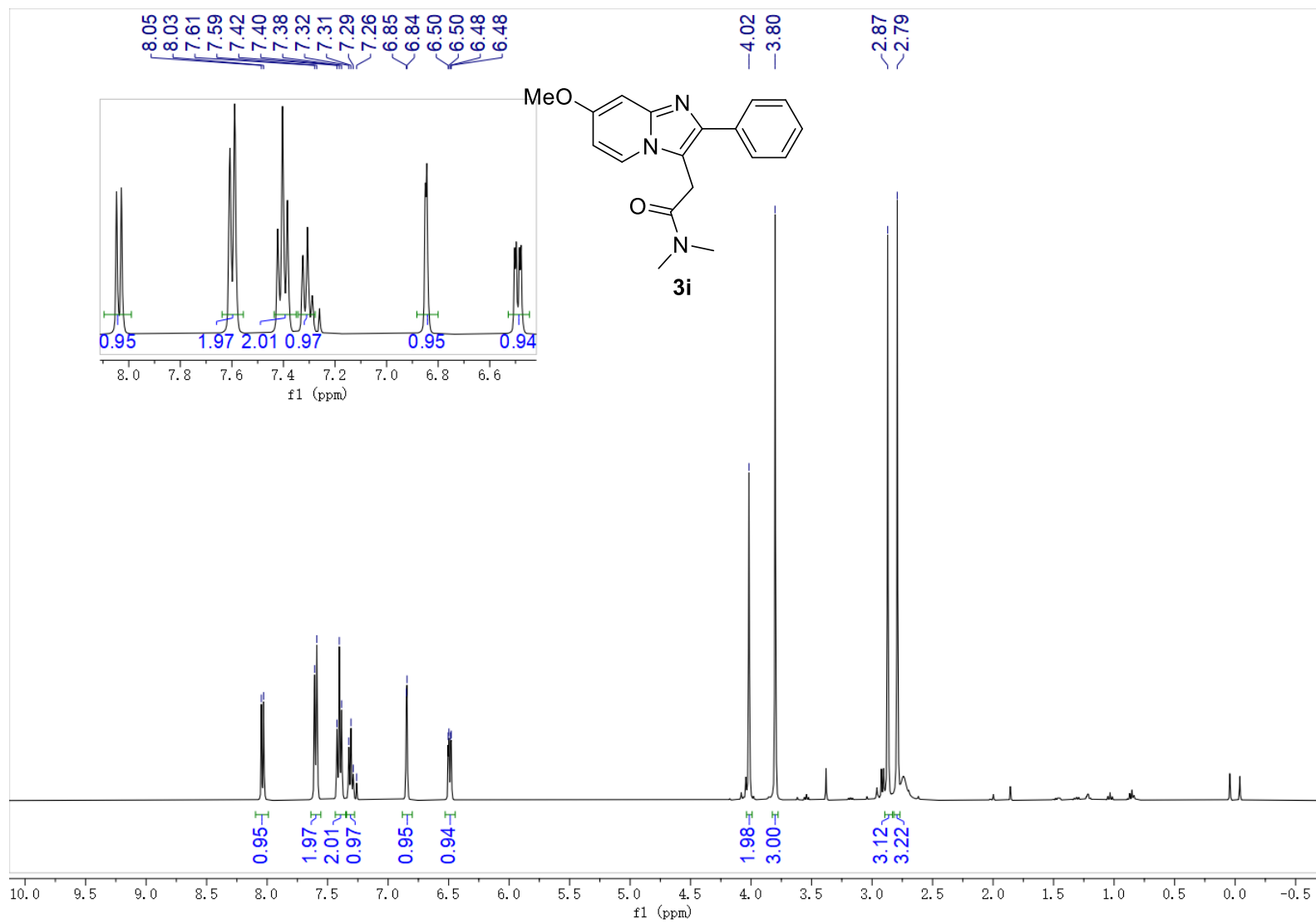


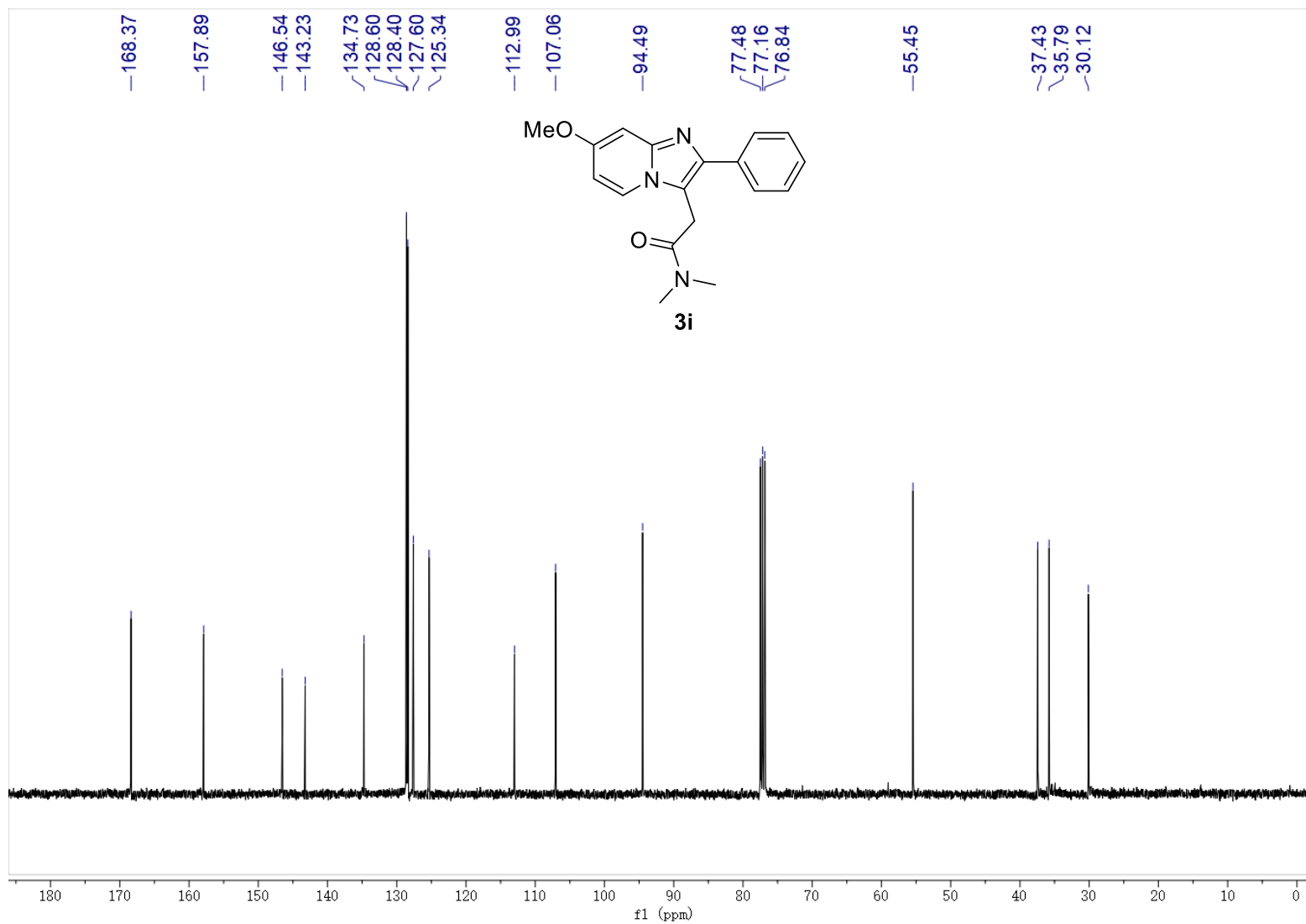


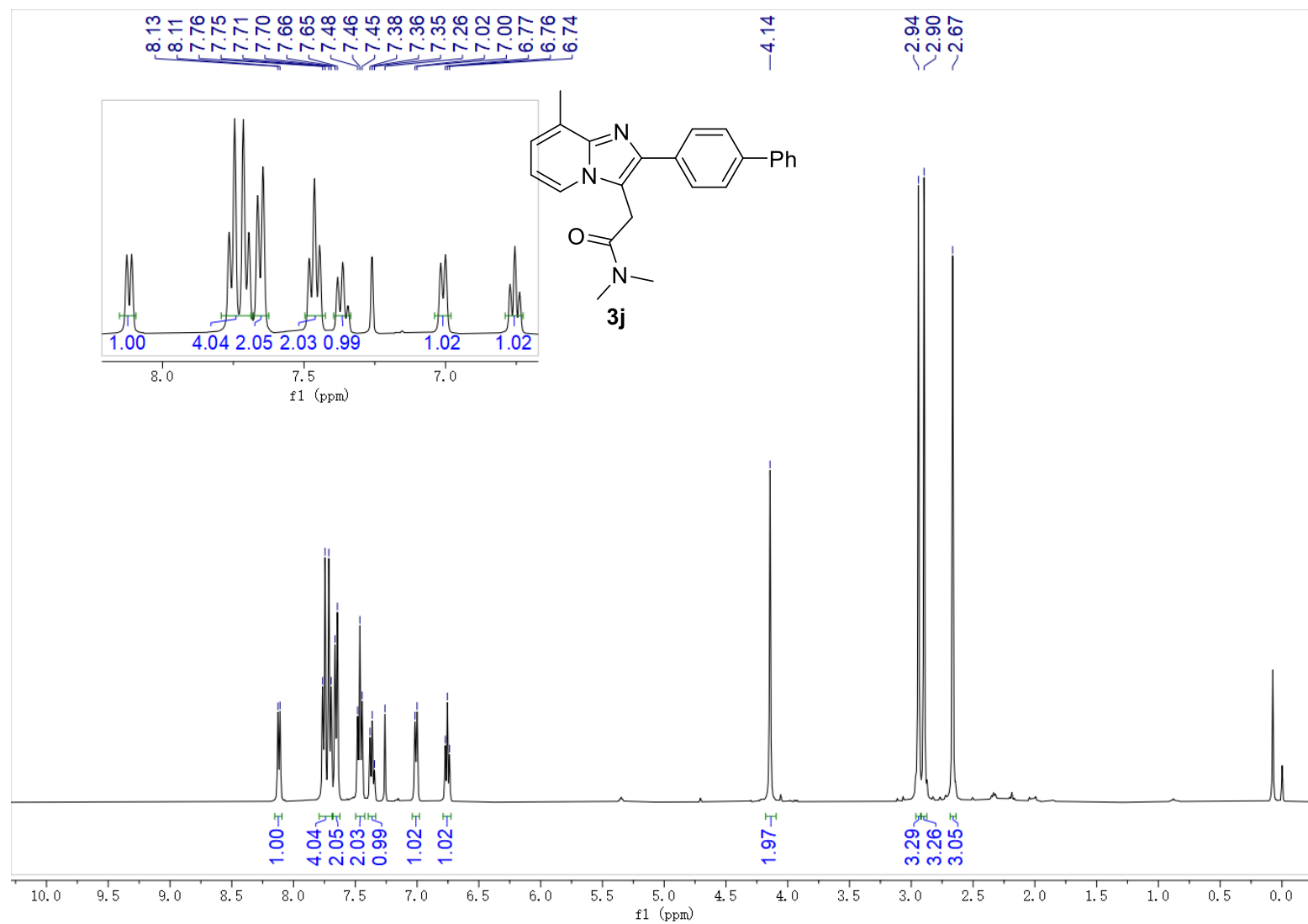


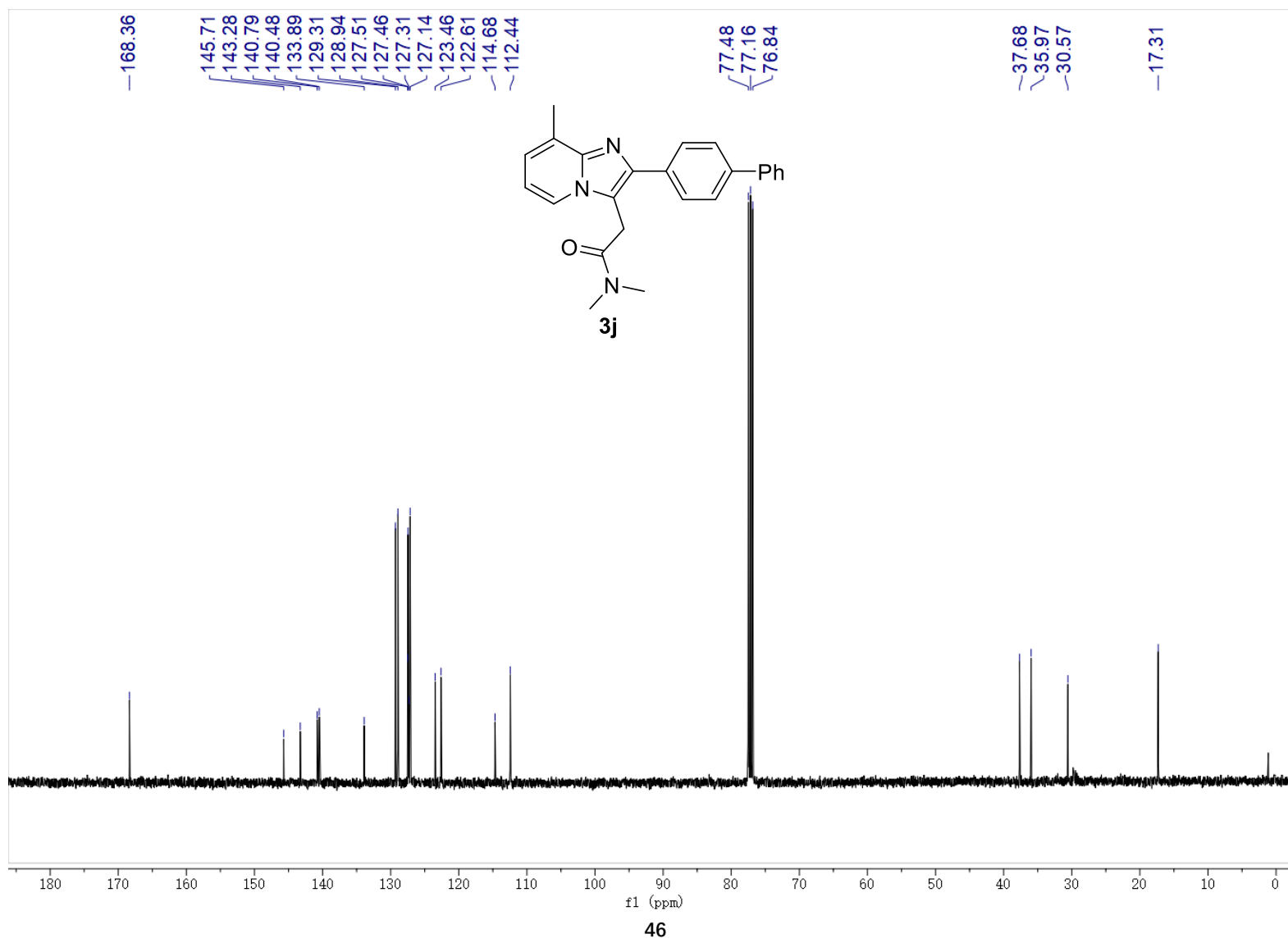


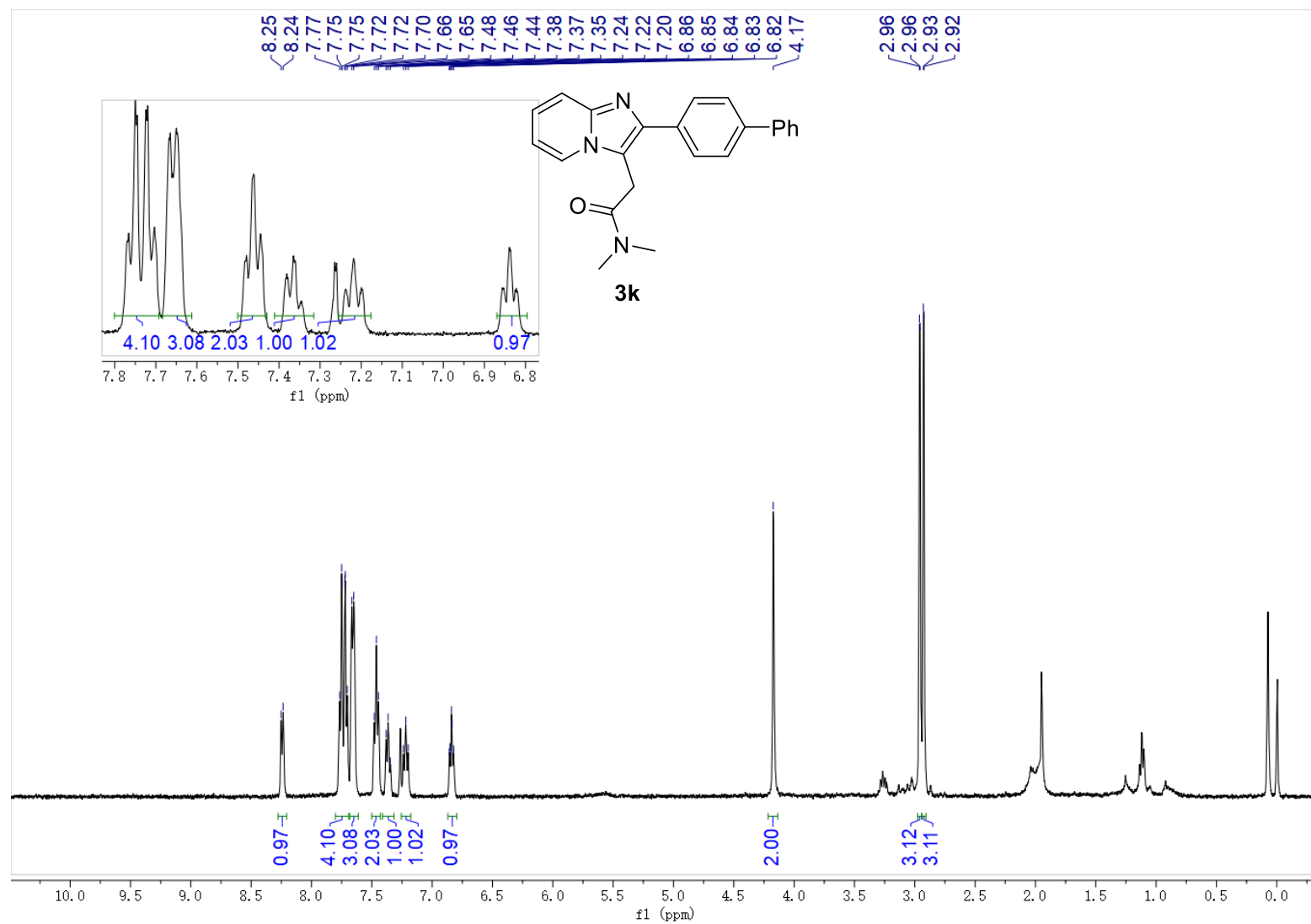


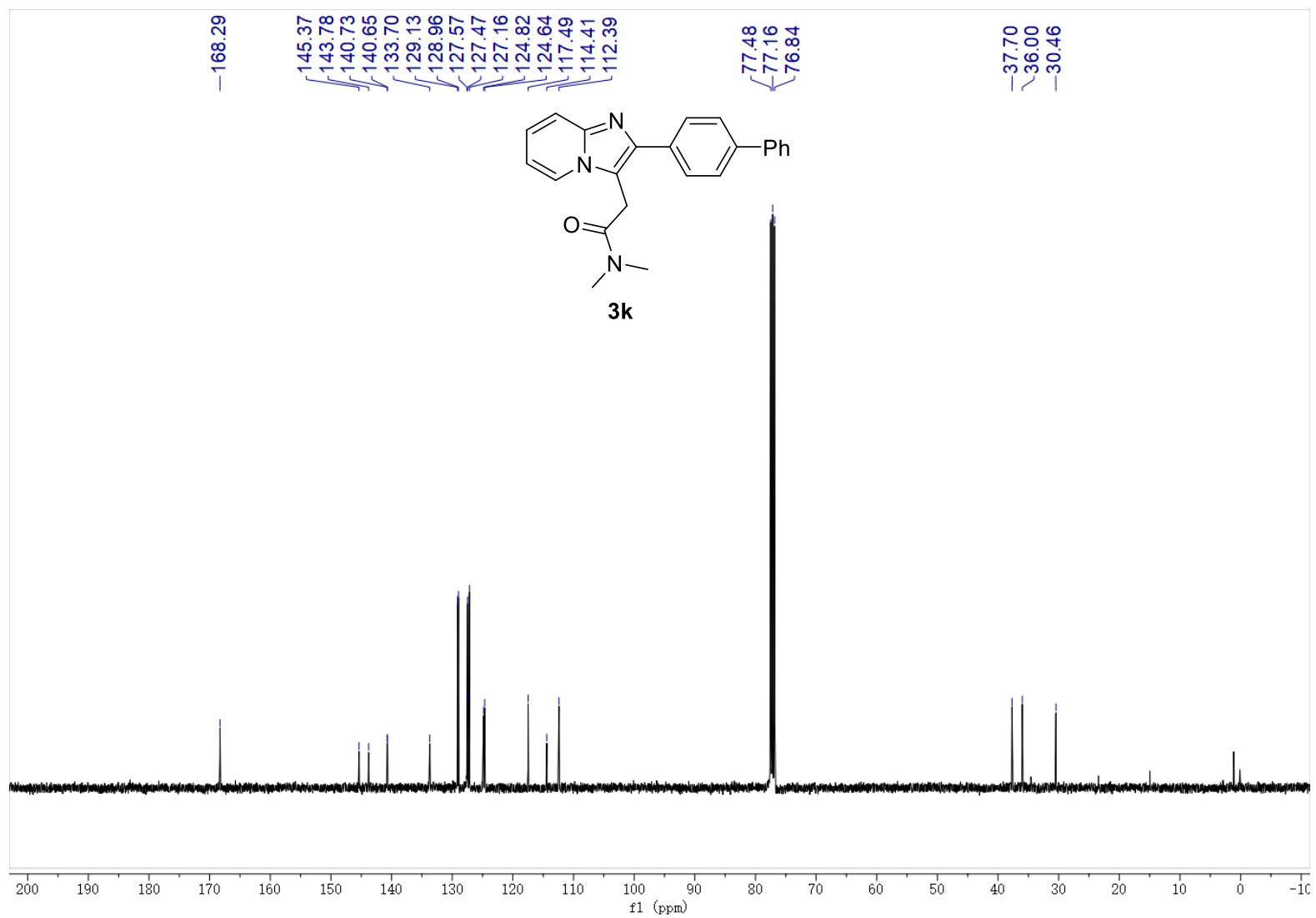


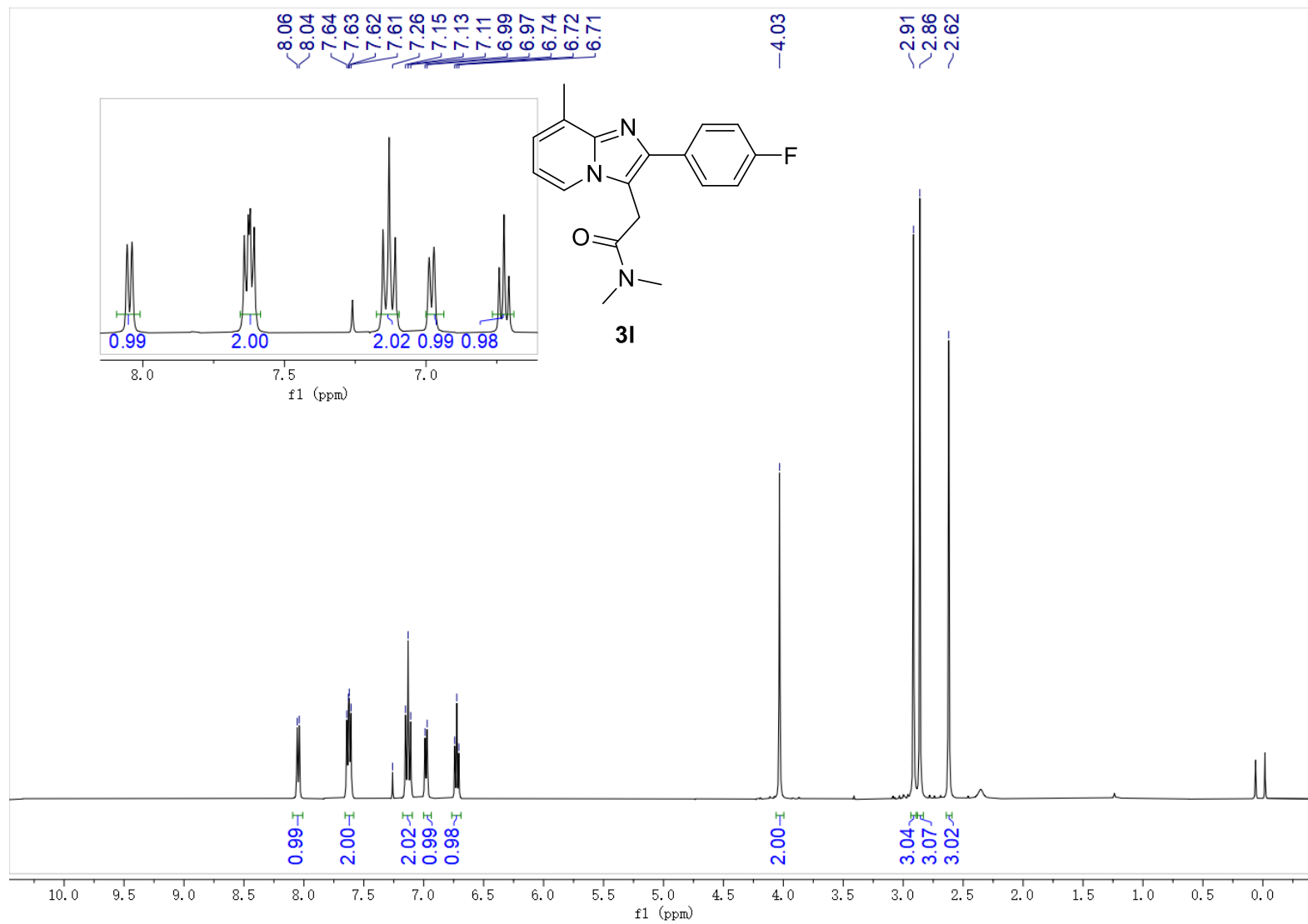


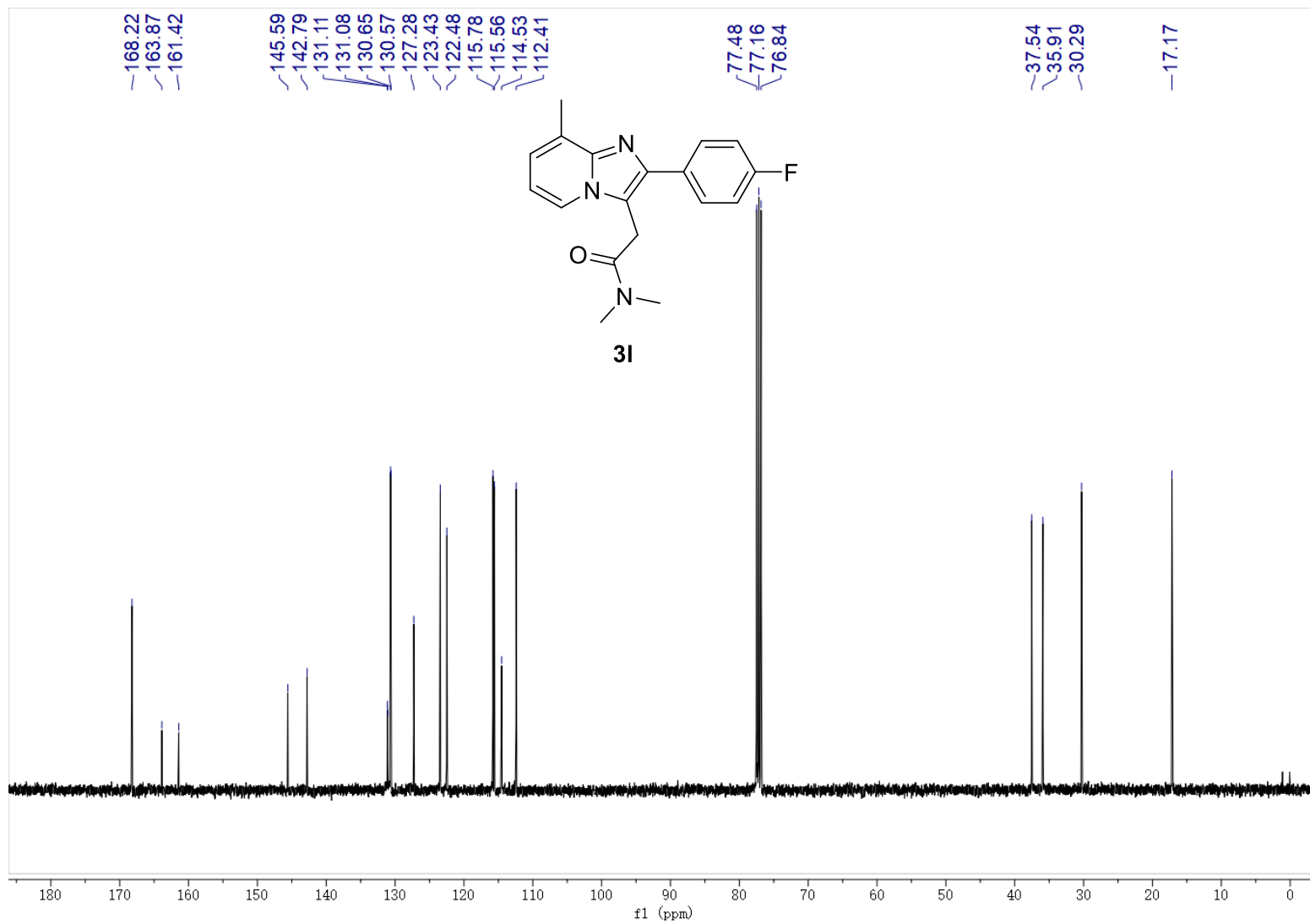


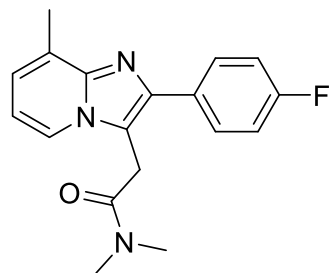






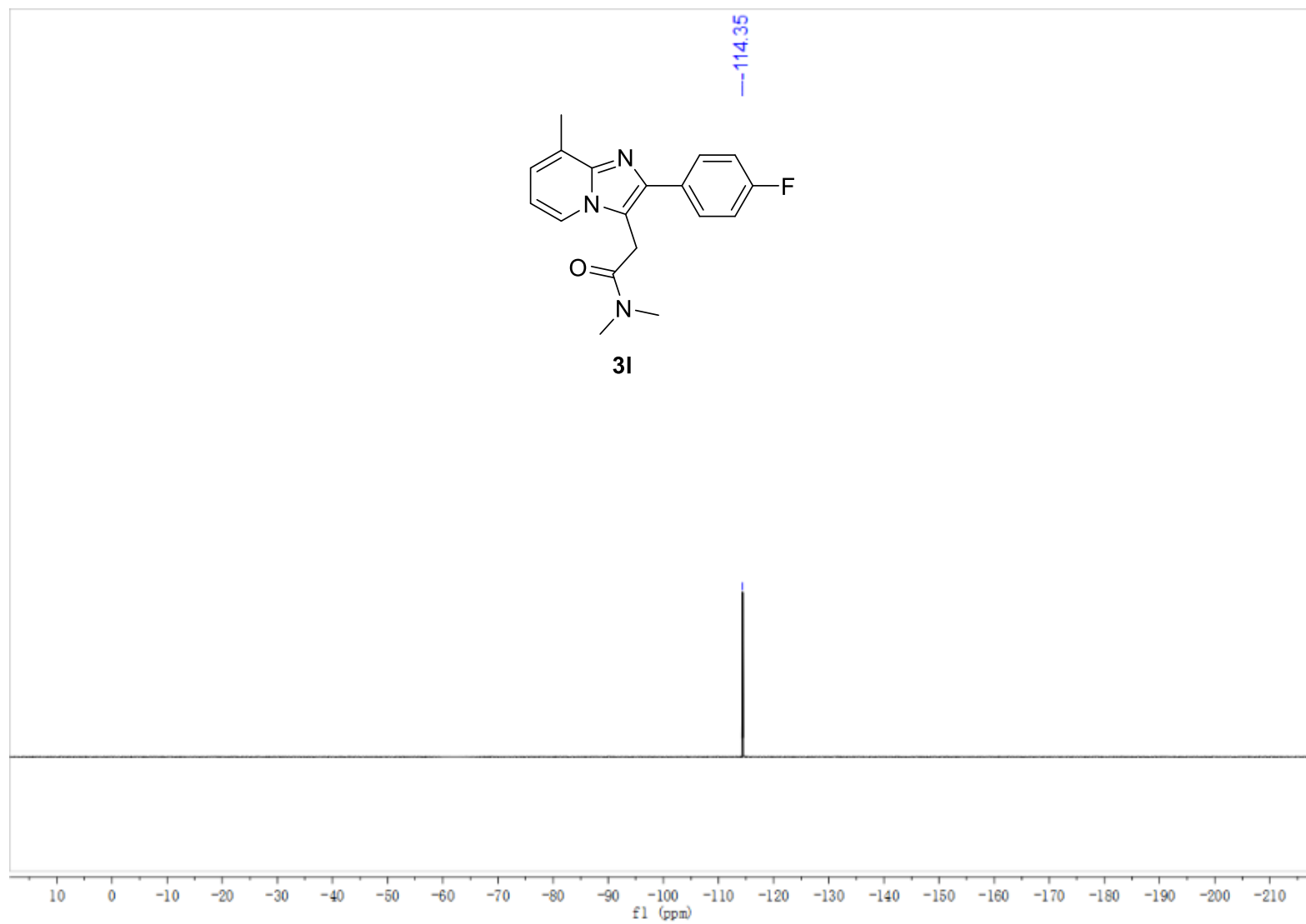


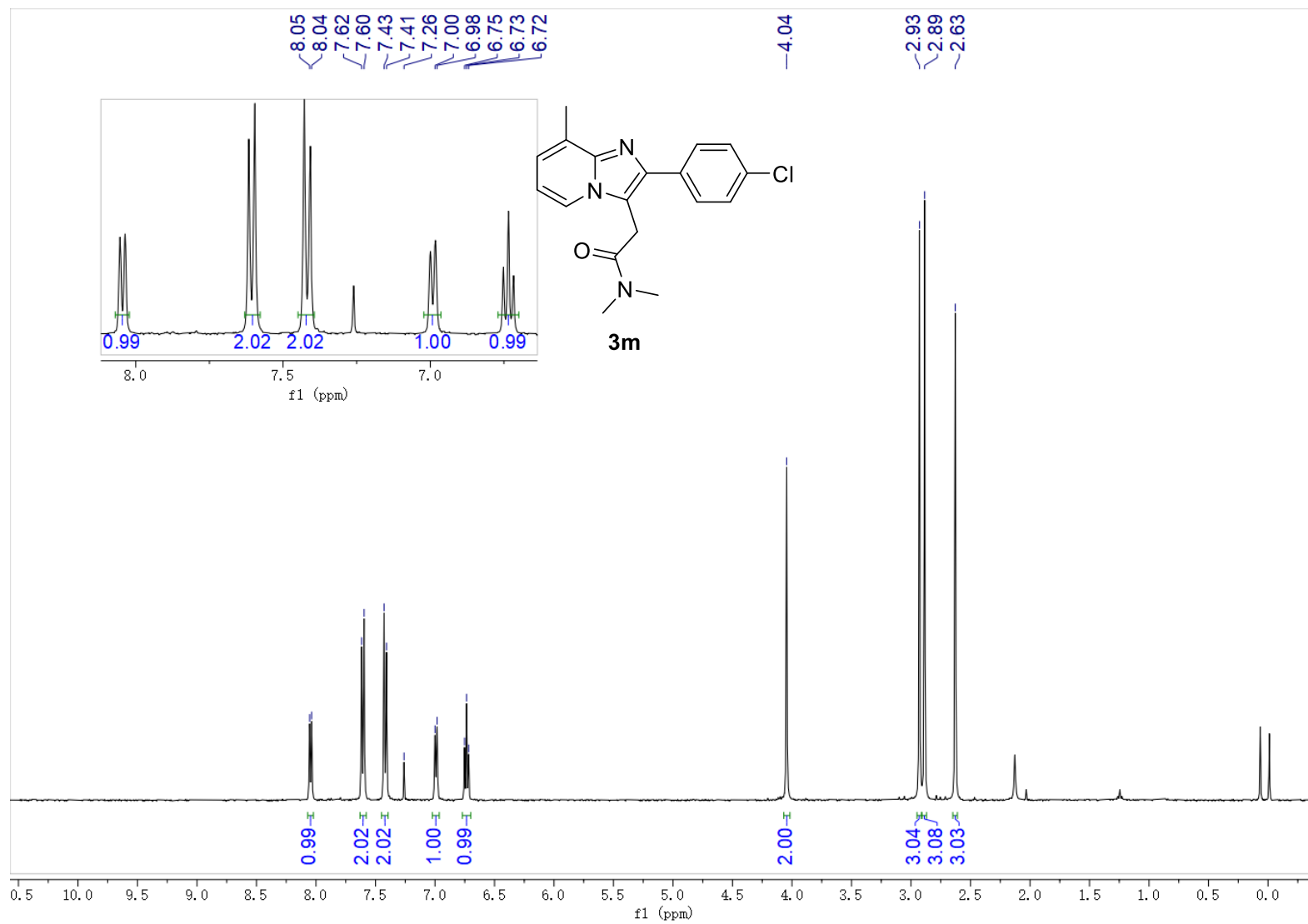


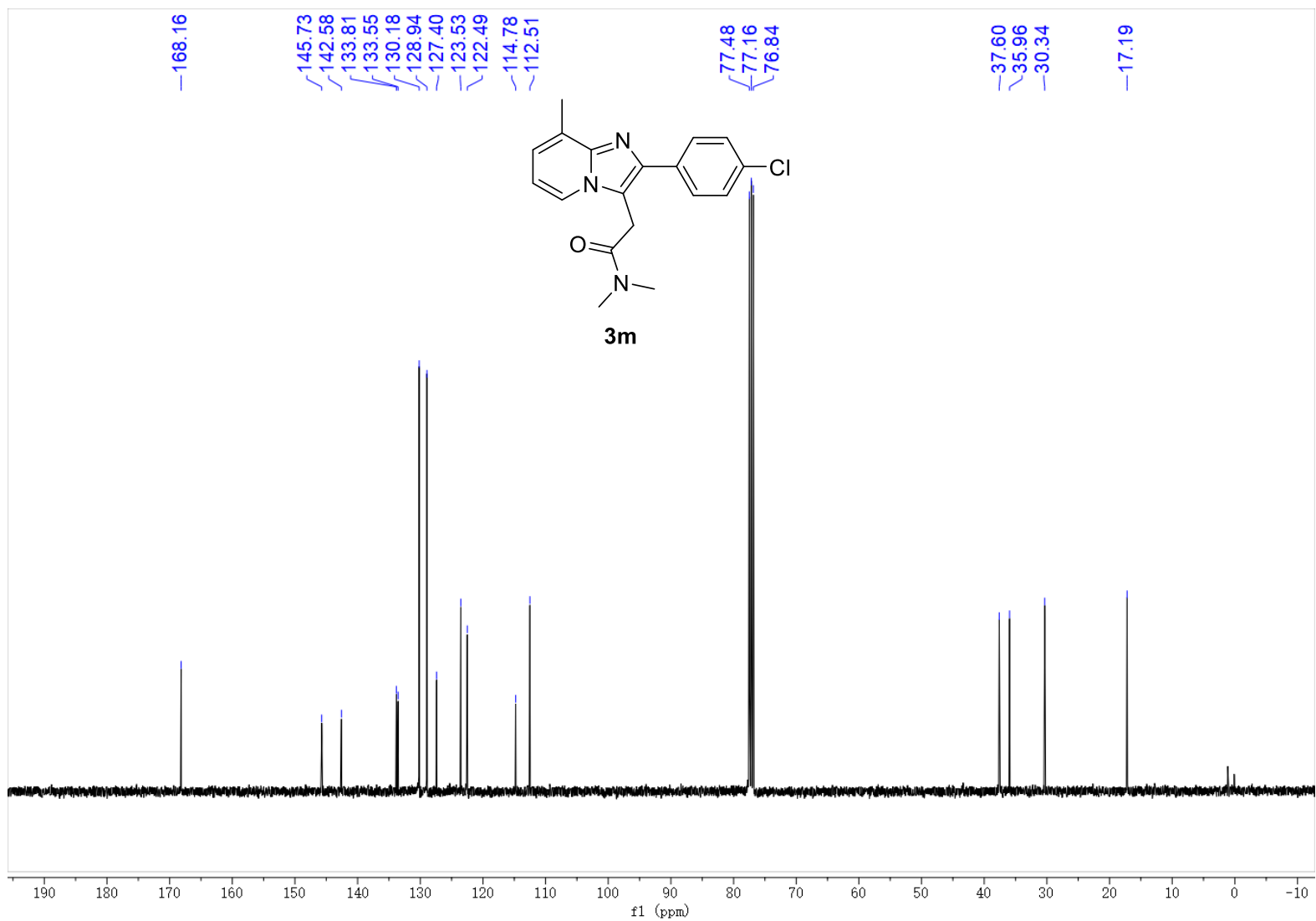


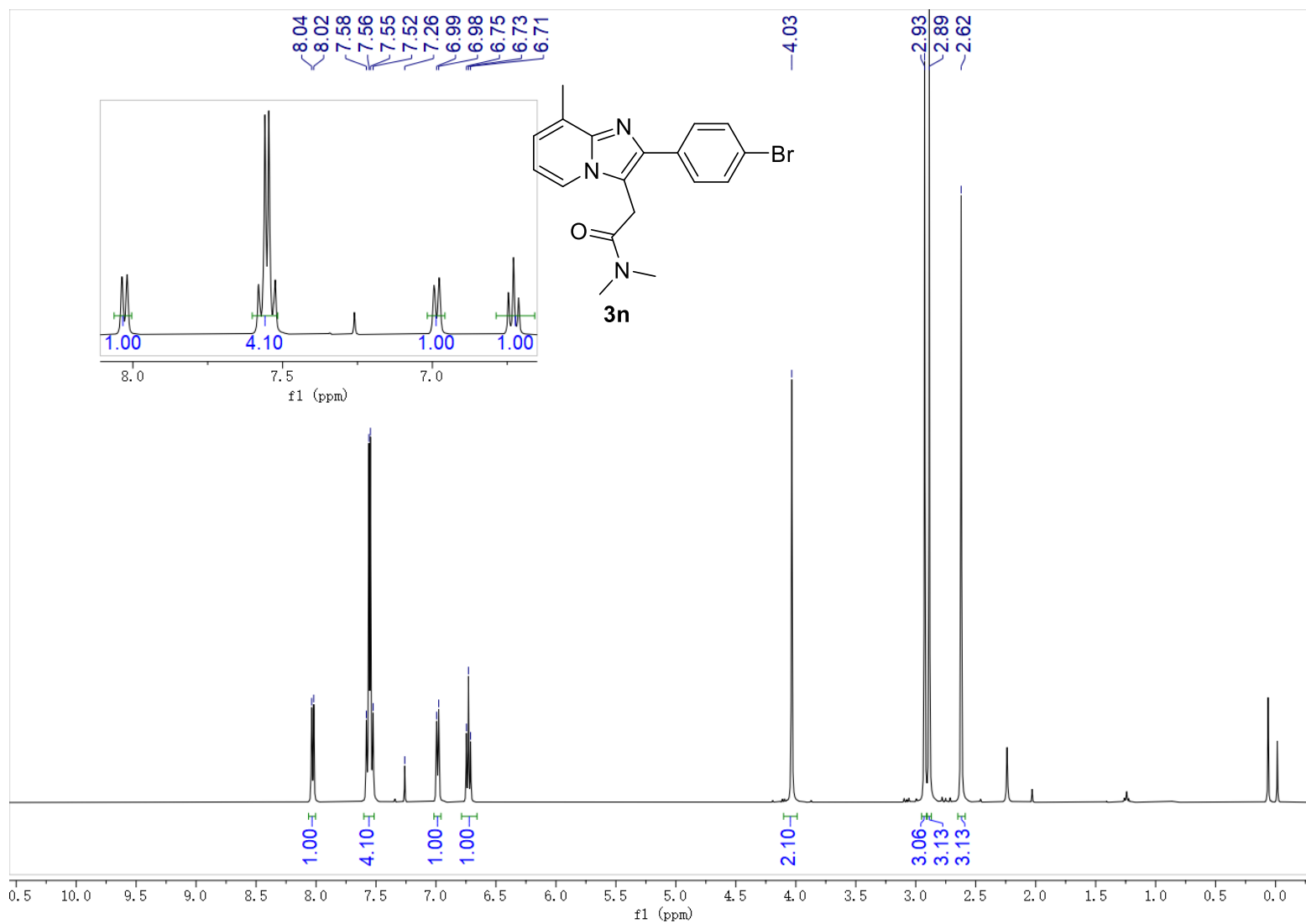
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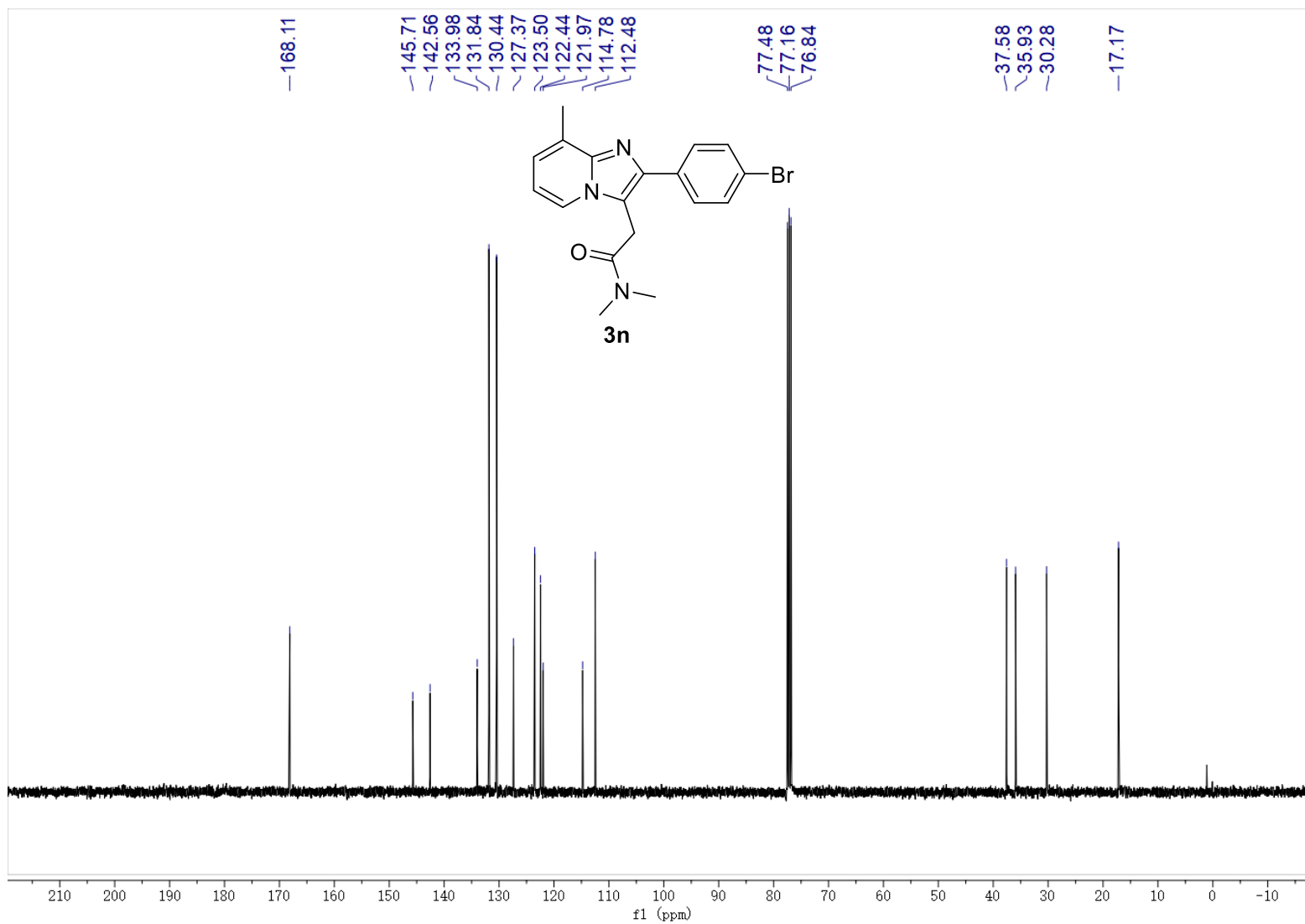
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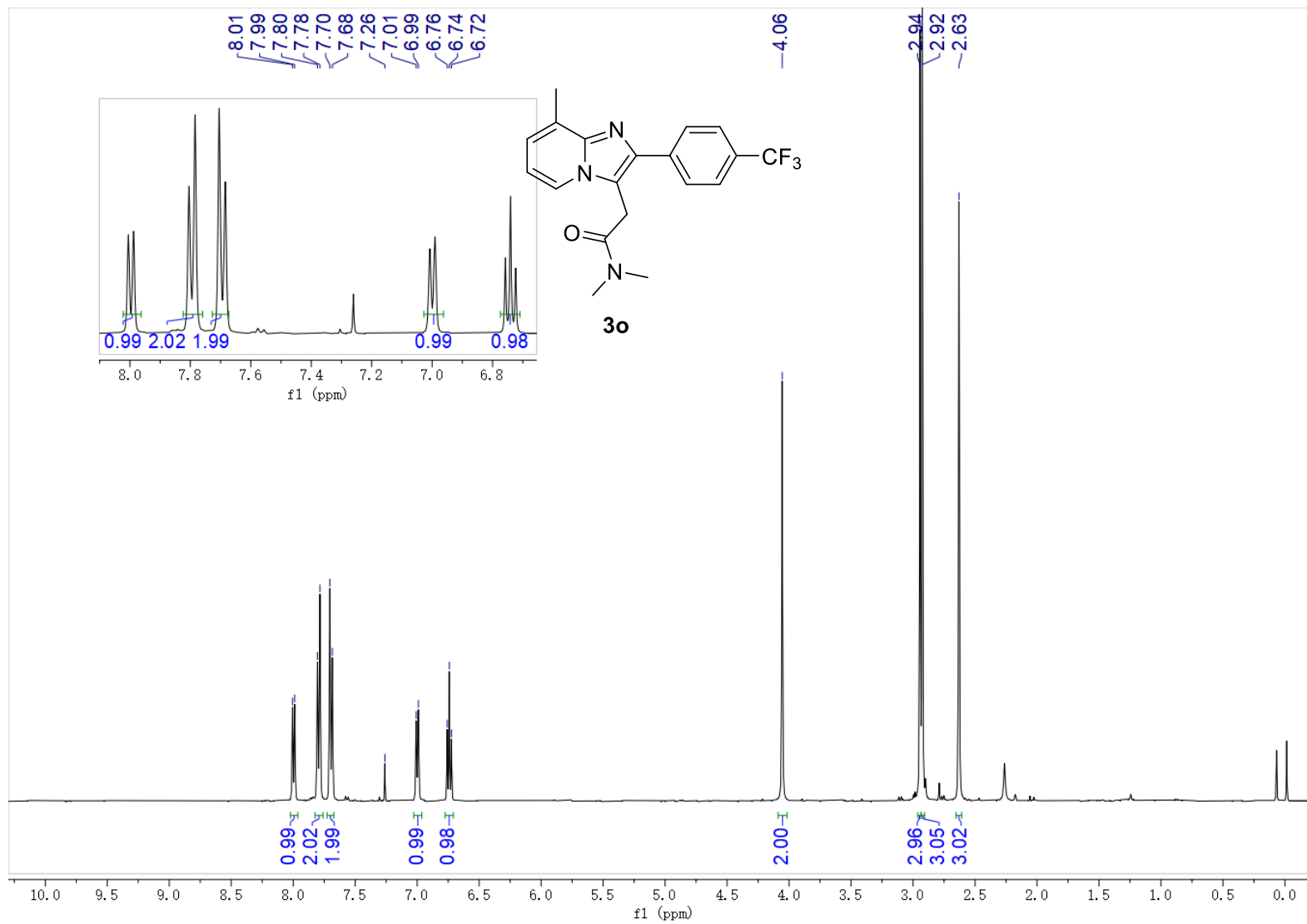


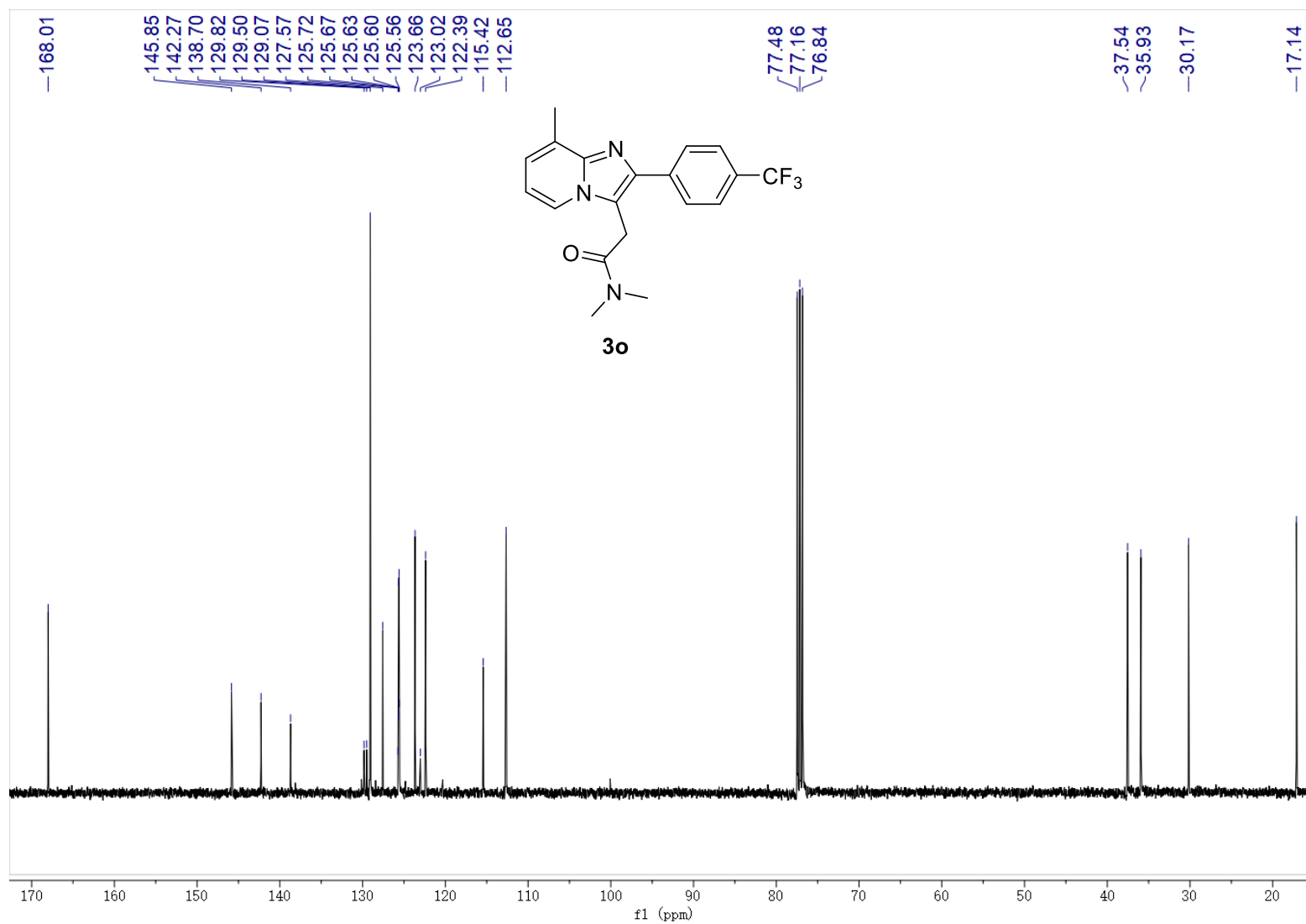


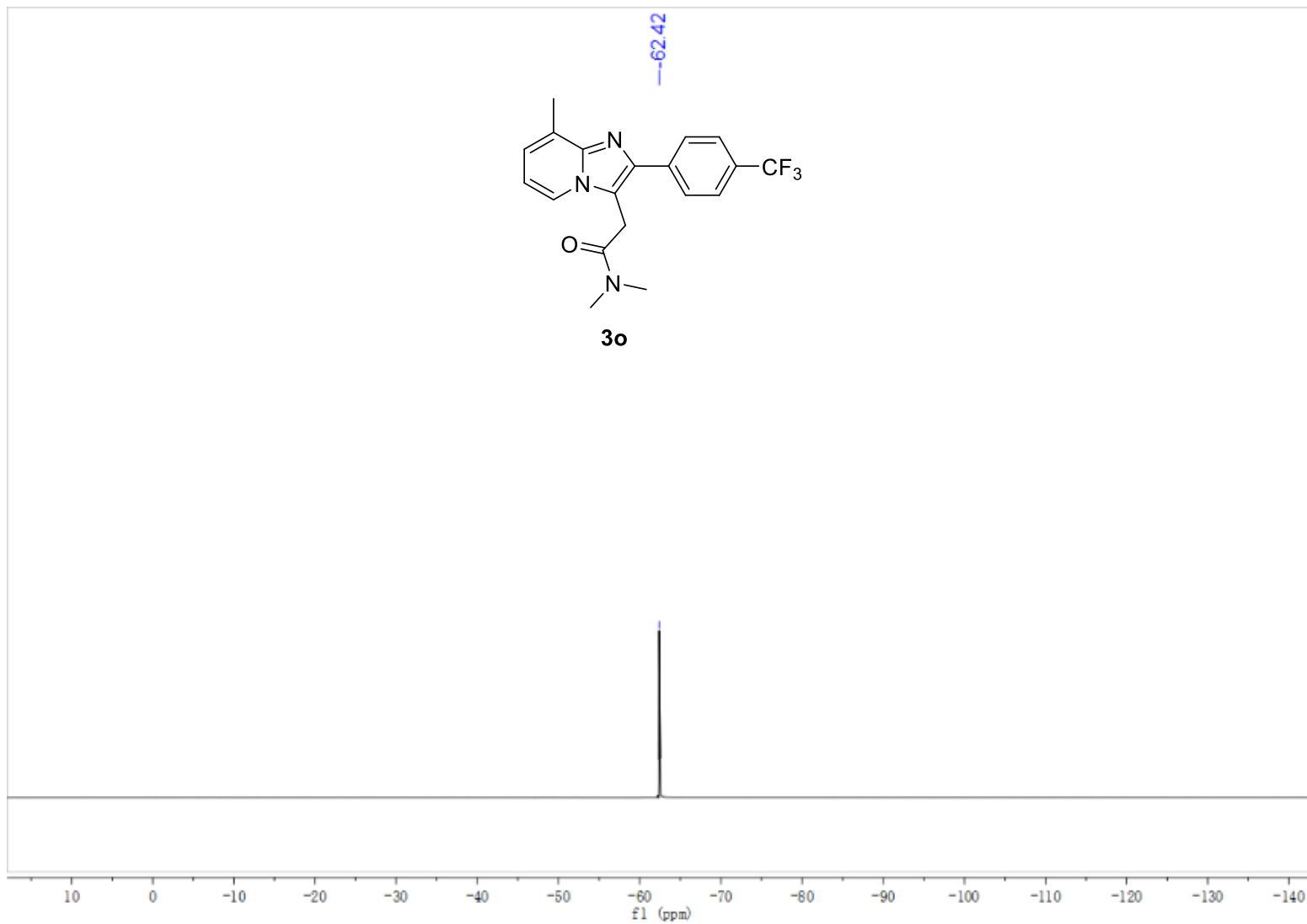
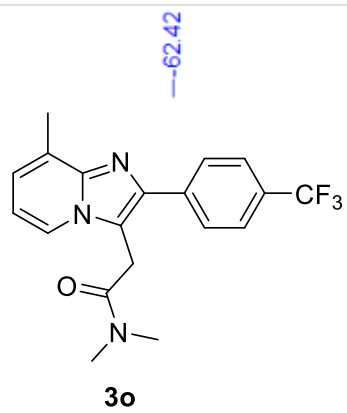




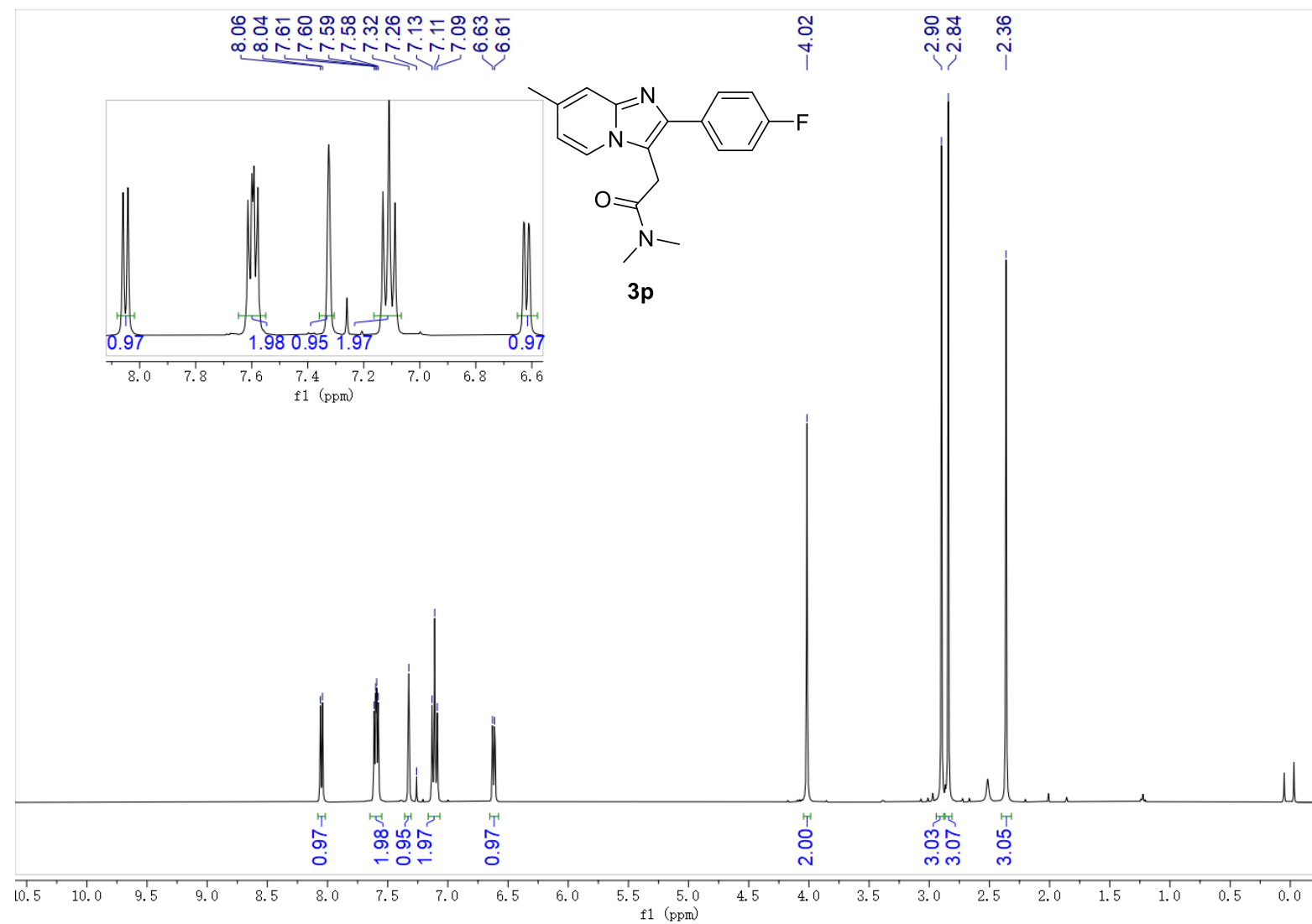


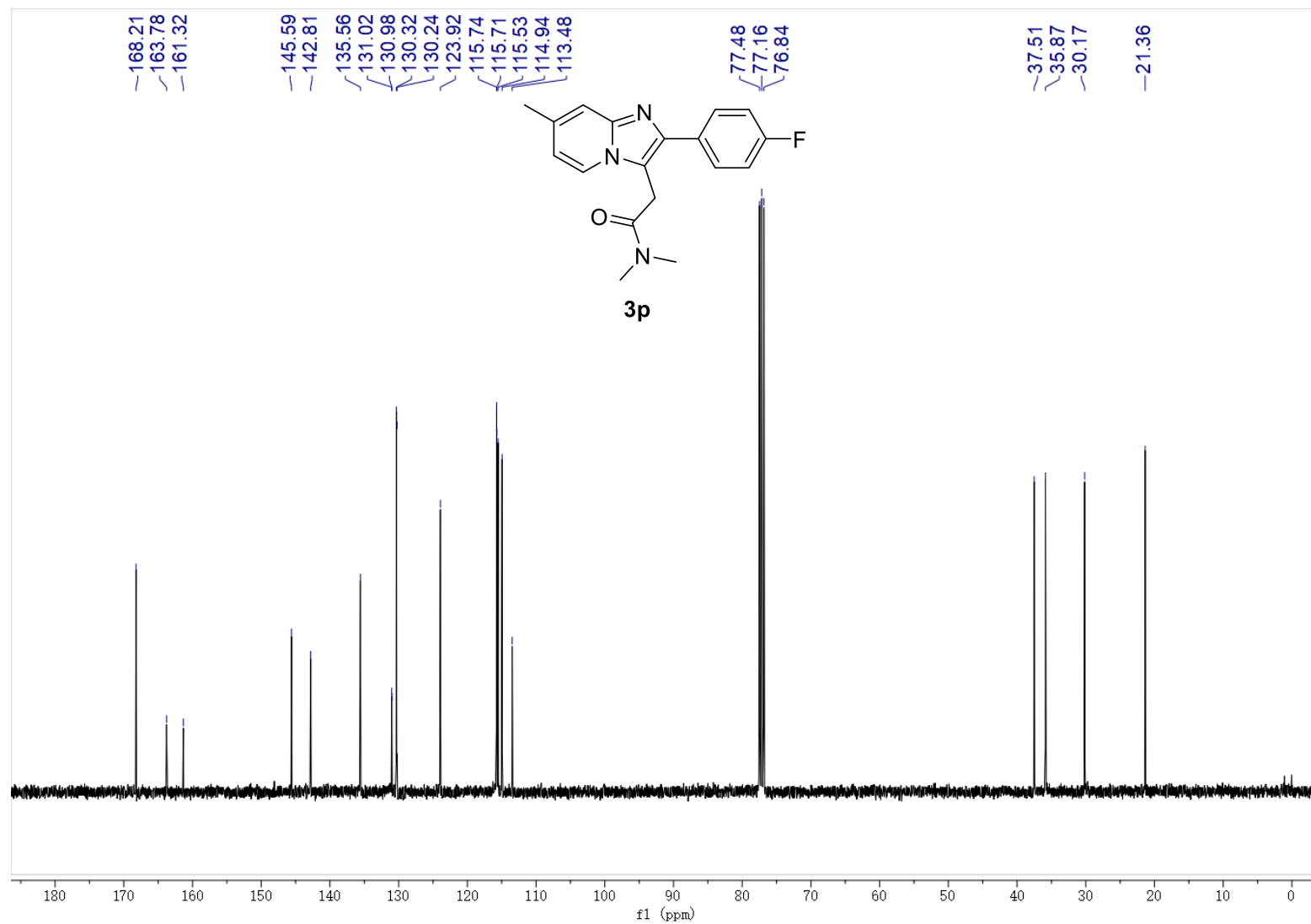


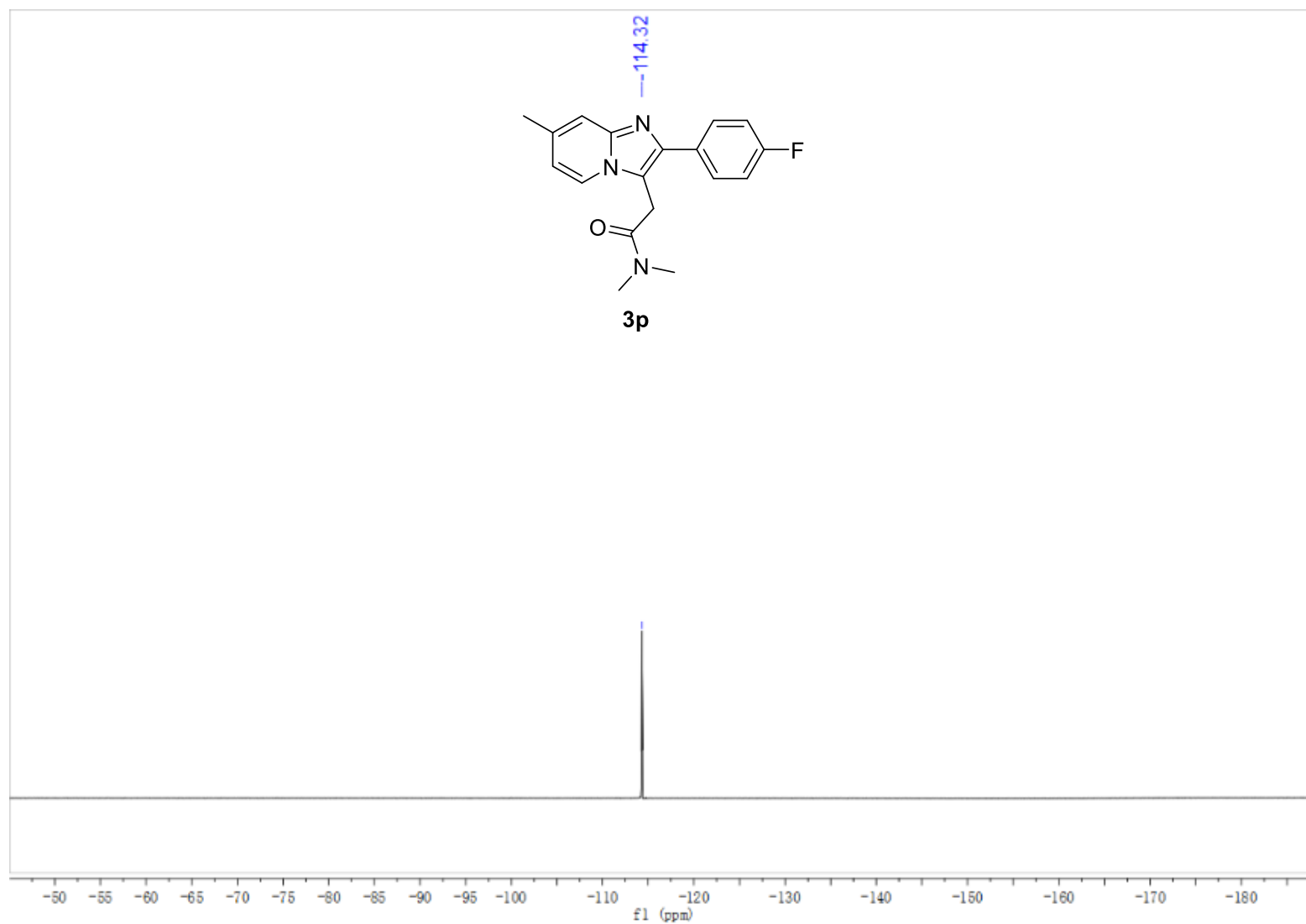




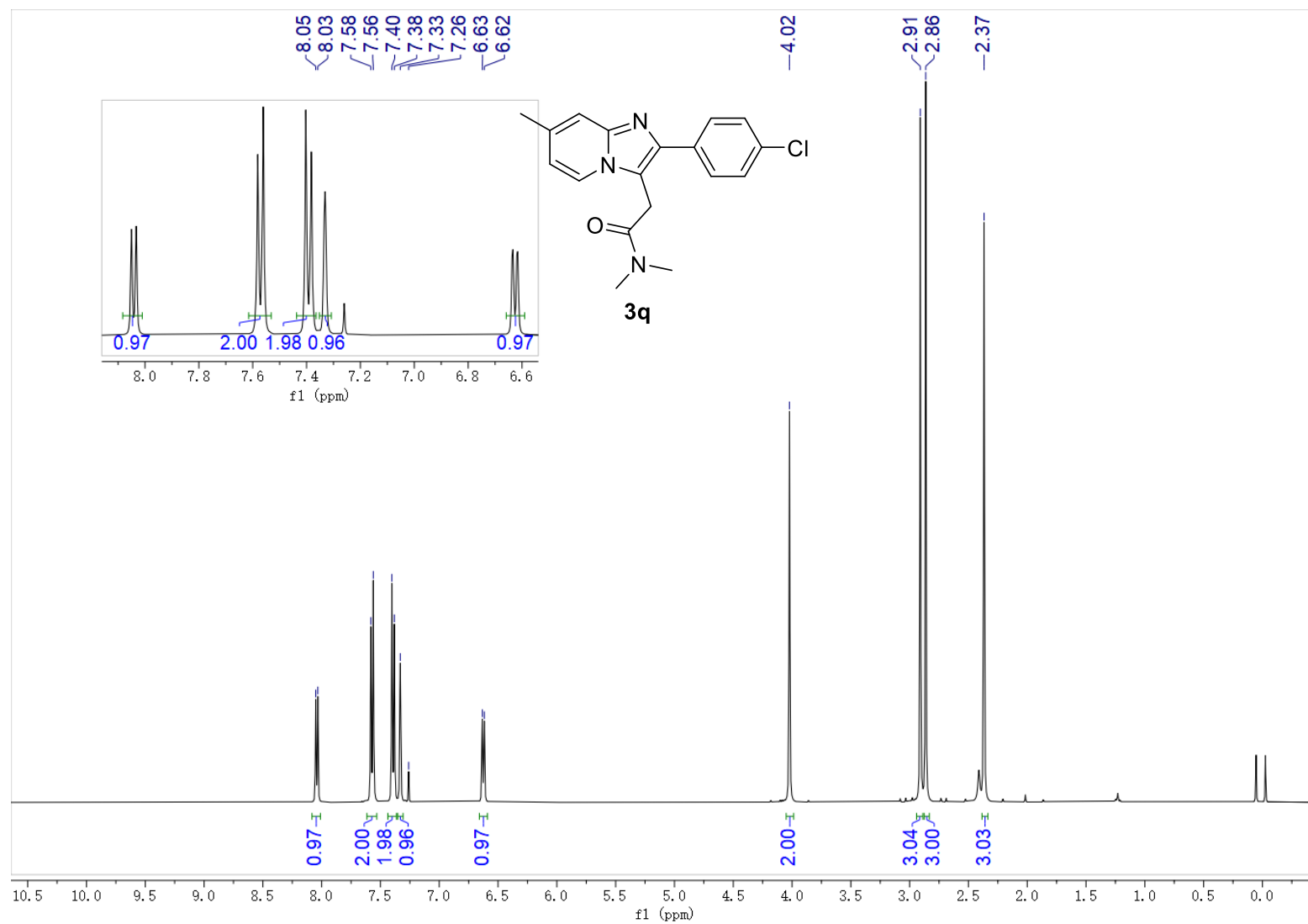
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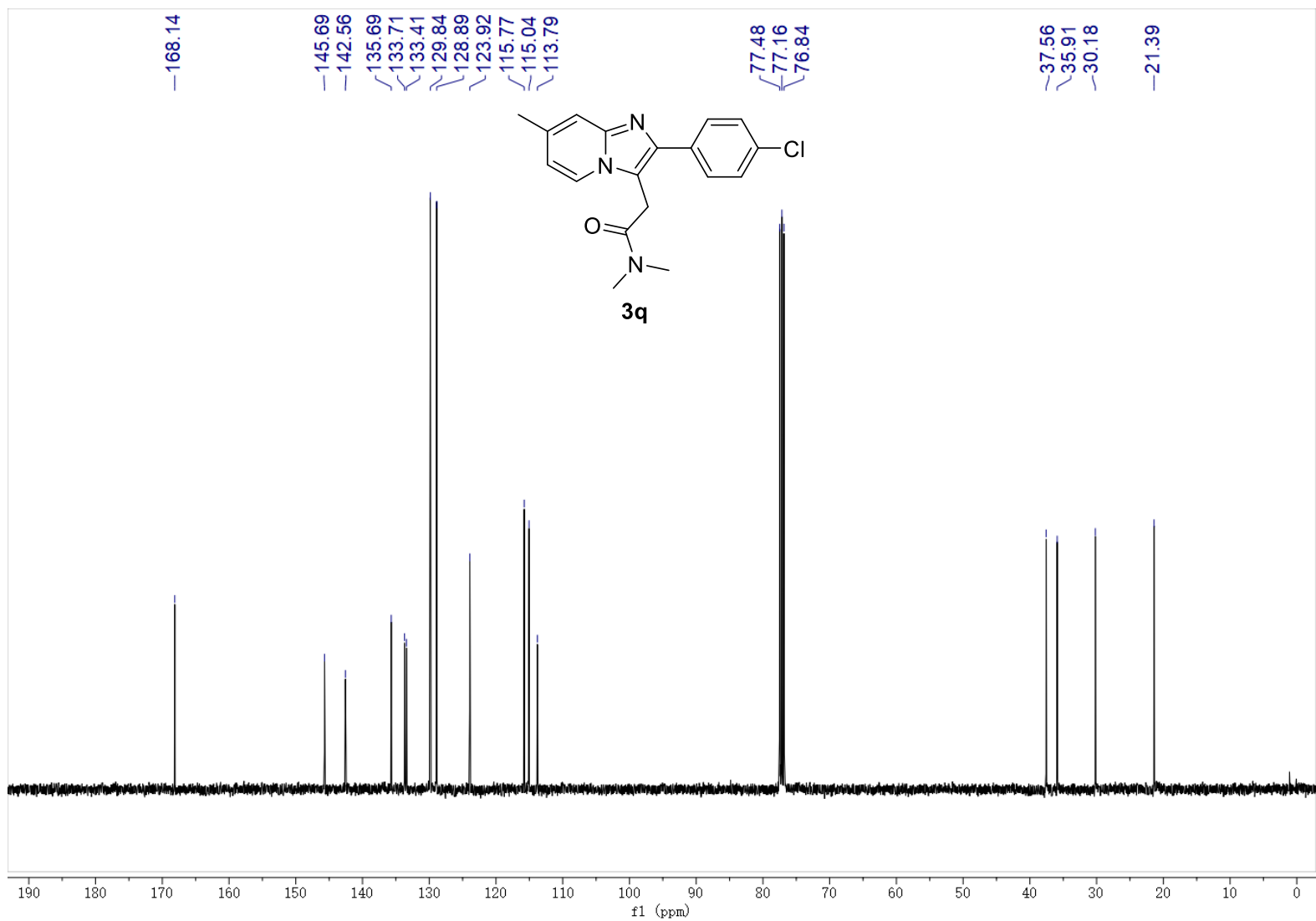


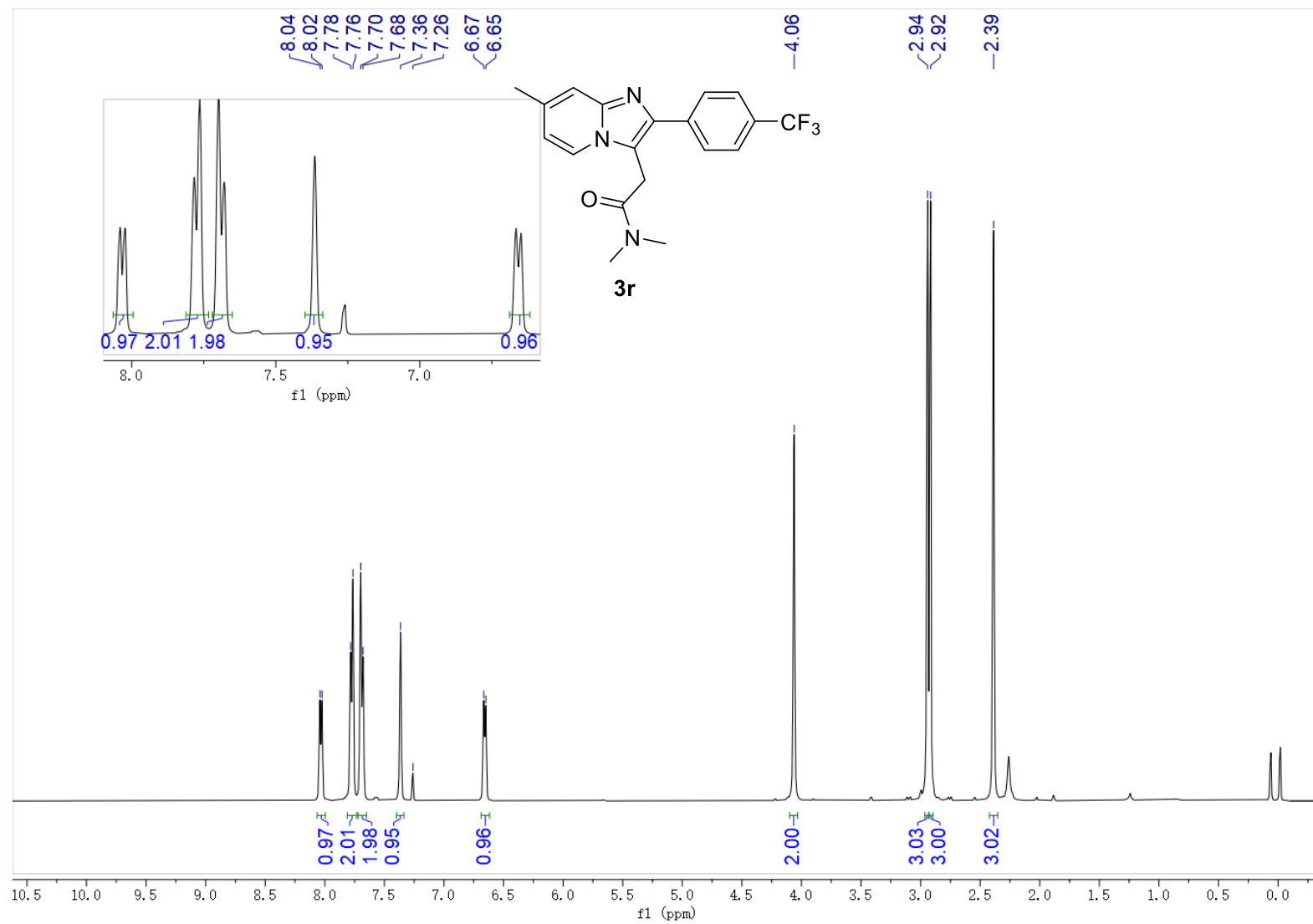


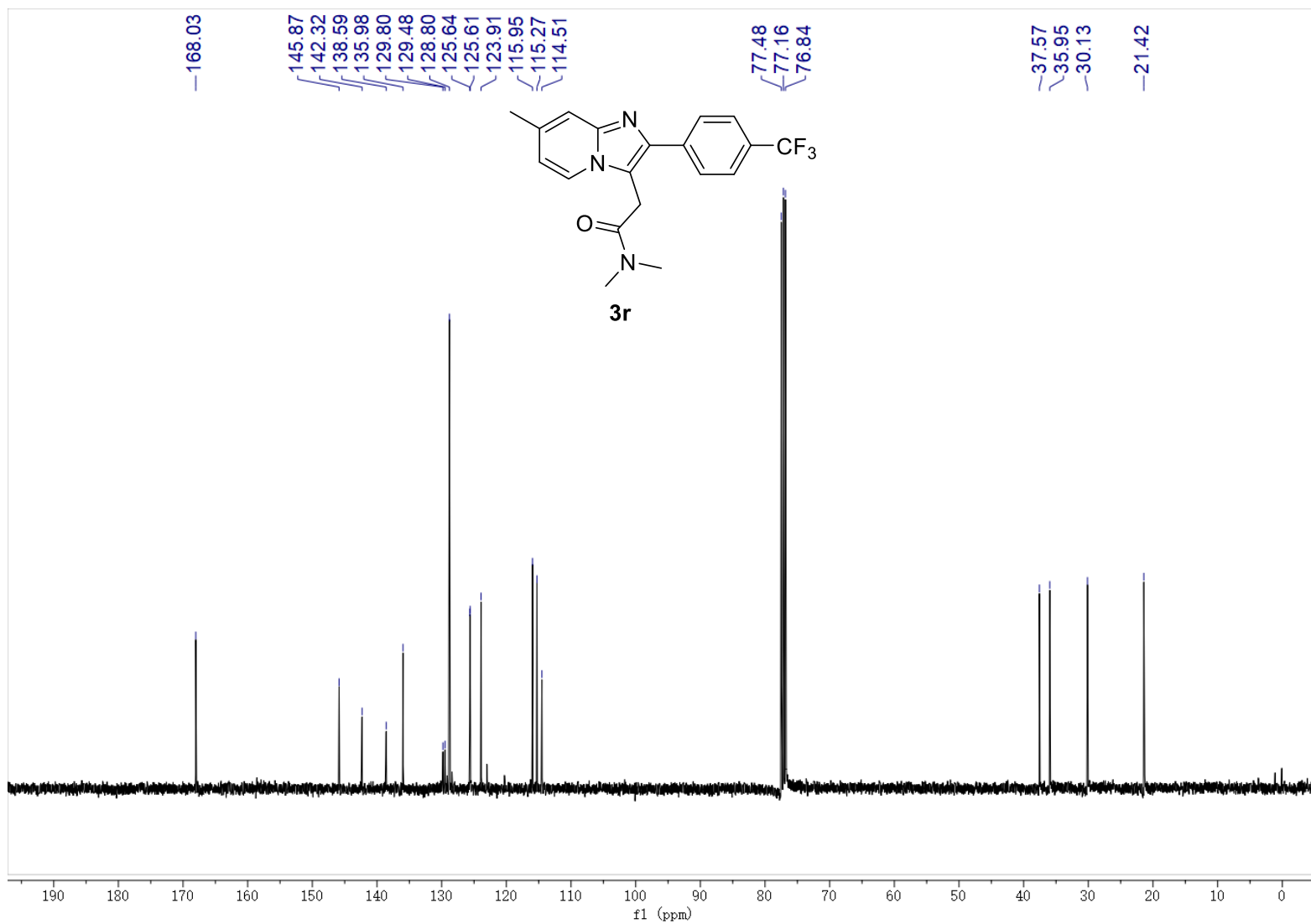


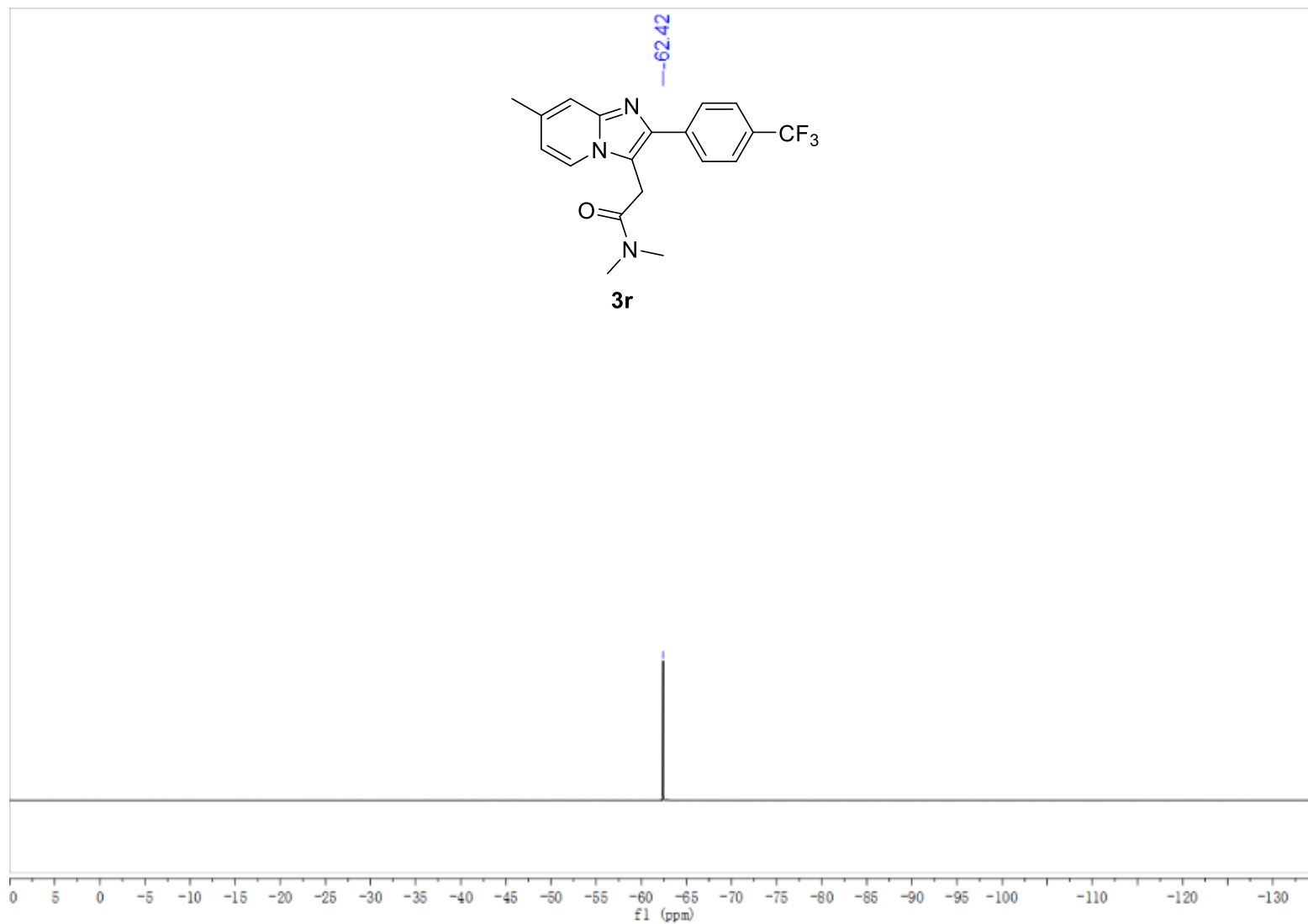
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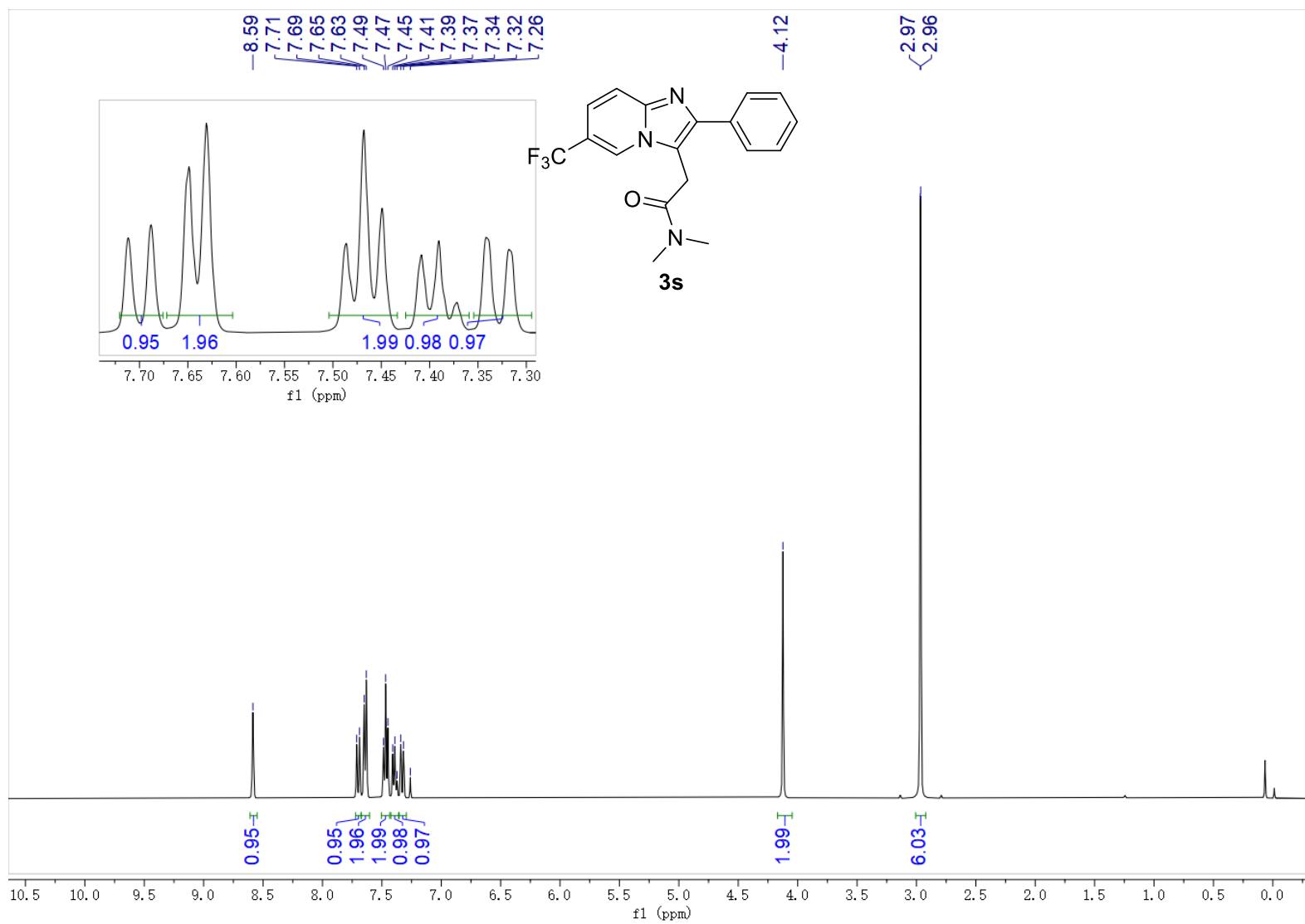


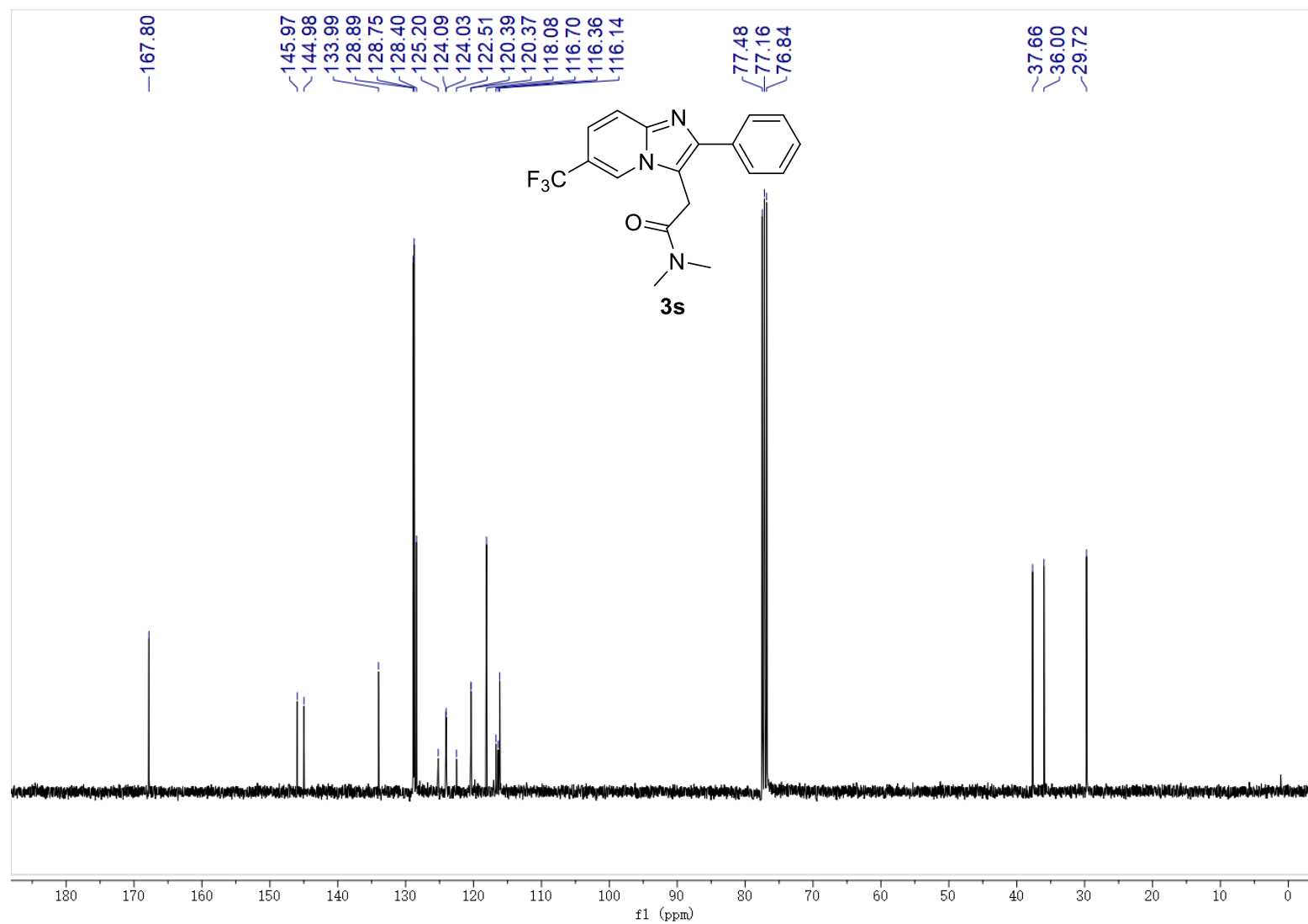


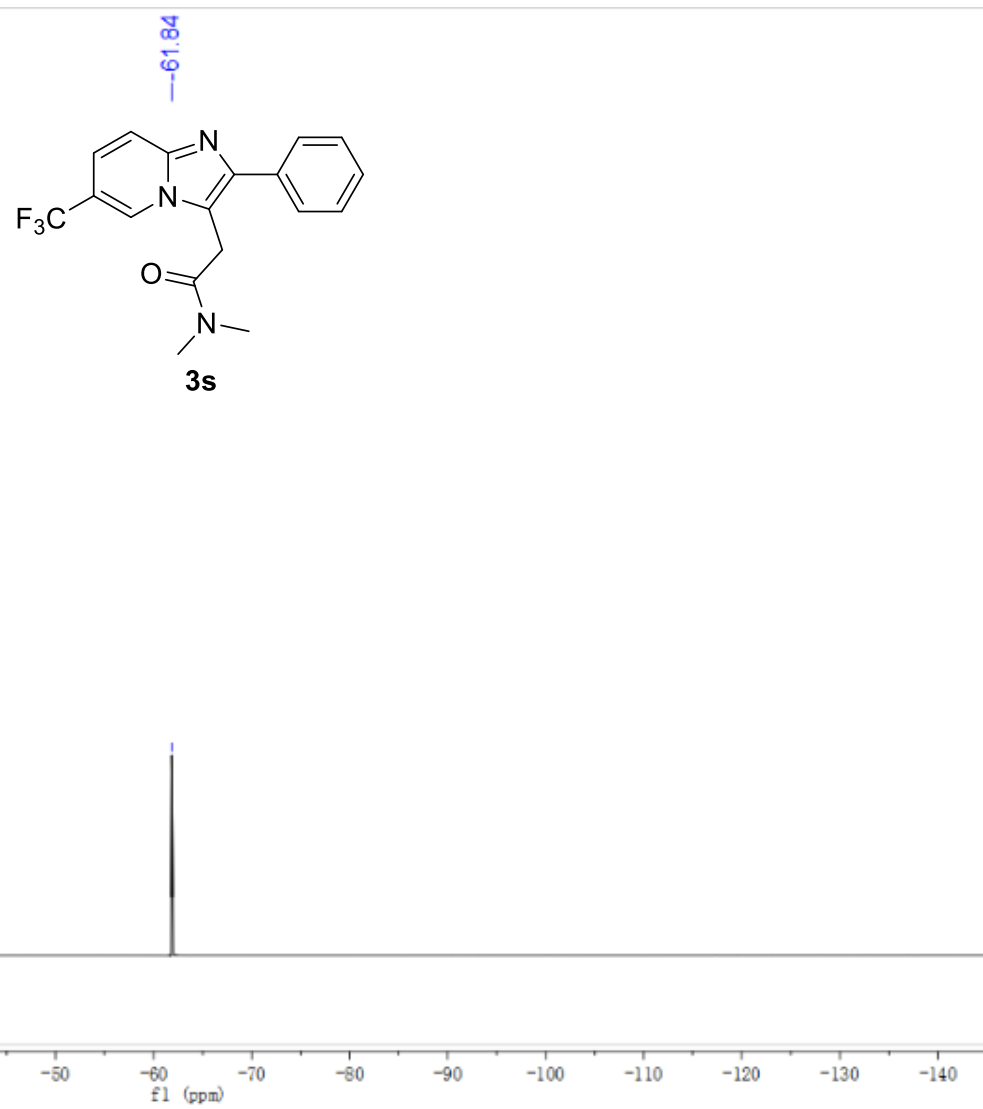












69

