

Supporting Information

Electrochemical oxidative dehydrogenative annulation of 1-(2-aminophenyl)pyrroles with cleavage of ethers to synthesize pyrrolo[1,2-*a*]quinoxaline derivatives

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General remark

All the electrochemical reactions were performed in an undivided cell unless otherwise noted. The electrolysis instrument used is an adjustable DC regulated power supply (WANPTEK WPS605B).

^1H NMR, and ^{13}C NMR spectra were recorded on Bruker 400M in CDCl_3 or d_6 -DMSO. All ^1H NMR and ^{13}C NMR chemical shifts were given as δ value (ppm) with reference to tetramethylsilane (TMS) as an internal standard. The NMR peak multiplicities identified as s = singlet, br s = broad singlet, d = doublet, t = triplet, q = quartet, m = multiplet; coupling constants (J) were reported in Hz. All compounds were further characterized by HRMS; copies of their ^1H NMR and ^{13}C NMR spectra were provided. Cyclic voltammograms were obtained on a CHI 660C potentiostat (CH Instruments, Inc.).

Products were purified by flash chromatography on 200–300 mesh silica gels. Yields refer to chromatographically and spectroscopically pure materials unless otherwise stated. All melting points were determined without correction. All reactions were carried out under air in oven-dried glassware, unless otherwise noted. All reagents were purchased commercially and used as received, unless otherwise noted.

General procedures for the electrolysis

1. The materials used to make the electrolytic cell

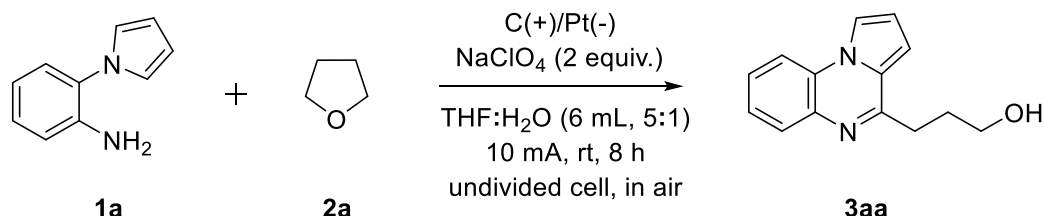
All the materials used to make the electrolytic cell were commercially available (Figure S1). The anode was carbon rod electrode (ϕ 6 mm) and the cathode was platinum plate electrode ($1.0\text{ cm} \times 1.0\text{ cm} \times 0.1\text{ mm}$) (Shanghai yueci).



Figure S1. The materials used to make the electrolytic cell for the synthesis of 3-

(pyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol

2. General procedure for the electrosynthesis of 3-(pyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol



An undivided test column-type electrolysis cell (20 mL) was charged with a stir bar, 2-(1*H*-pyrrol-1-yl)aniline **1a** (0.2 mmol, 1.0 equiv.), NaClO₄ (0.4 mmol, 2 equiv.), THF **2a** (5.0 mL) and H₂O (1.0 mL), and the resulting suspension was stirred until the solids were dissolved. Then the prepared electrodes were placed into the reaction mixture. The anode was carbon rod electrode (6 mm) and the cathode was platinum plate electrode (1.0 cm × 1.0 cm × 0.1mm). The mixture was electrolyzed at a constant current of 10 mA at 25 °C until the reagent and its intermediate were consumed entirely (monitored by TLC). The reaction electrodes were taken out, washed twice with ethyl acetate (10 mL) ultrasonically, and the ethyl acetate was combined with the reaction mixture. The combined mixture was washed with H₂O (10 mL × 3), brine, dried over anhydrous Na₂SO₄, filtered, and concentrated under reduced pressure. The resulting crude product was purified by silica gel column chromatography with petroleum ether and ethyl acetate (2:1) as eluents to afford the desired product.



Figure S2. Reaction setup.

Cyclic voltammetry experiments

The cyclic voltammetry experiments were carried out with a computer-controlled electrochemical analyzer for electrochemical measurements. The experiment was performed in a three-electrode cell (volume 20 mL) with THF and H₂O (V:V = 5:1, 6 mL) as the solvent, NaClO₄ (0.4 mmol) as the supporting electrolyte, the tested compound (0.2 mmol), glassy carbon (diameter 3 mm) as the working electrode, Pt plate (1.0 cm × 1.0 cm × 0.1mm) as the auxiliary electrode, and Ag/AgCl (saturated aqueous KCl) as the reference electrode. The scan speed was 100 mV/s. The potential ranges investigated were 0 V to +1.8 V, then we performed the following experiments.

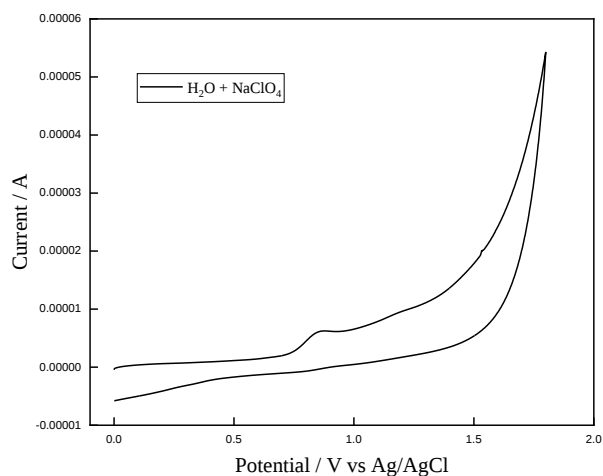


Figure S3. Cyclic voltammogram of NaClO₄ (0.4 mmol) as an electrolyte in H₂O (6 mL).

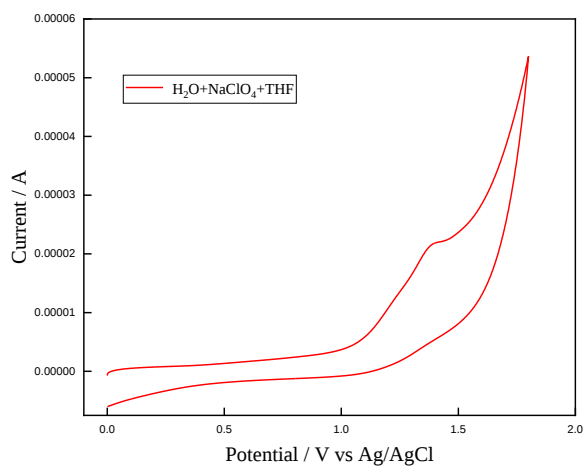


Figure S4. Cyclic voltammogram of NaClO₄ (0.4 mmol) as an electrolyte in THF and H₂O (V:V = 5:1, 6 mL).

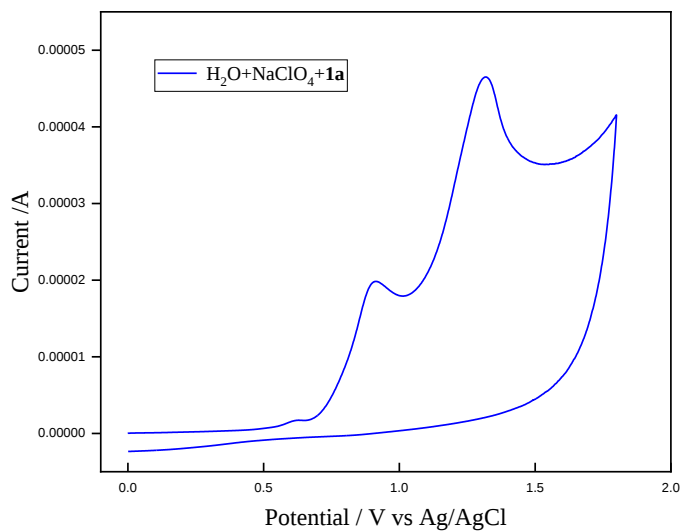


Figure S5. Cyclic voltammogram of **1a** (0.2 mmol) and NaClO₄ (0.4 mmol) as an electrolyte in H₂O (6 mL).

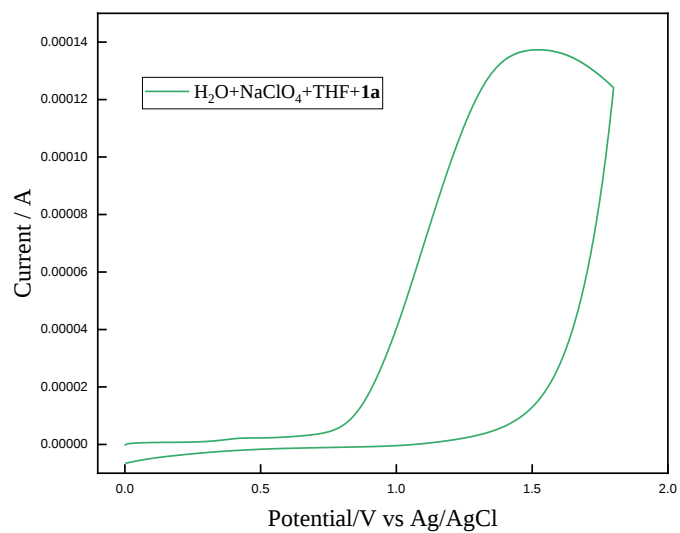
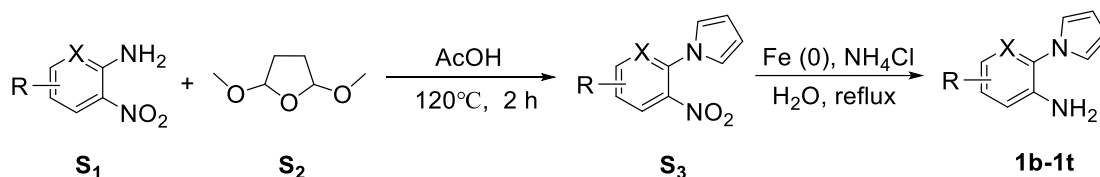


Figure S6. Cyclic voltammogram of **1a** (0.2 mmol) and NaClO₄ (0.4 mmol) as an electrolyte in THF and H₂O (V:V = 5:1, 6 mL).

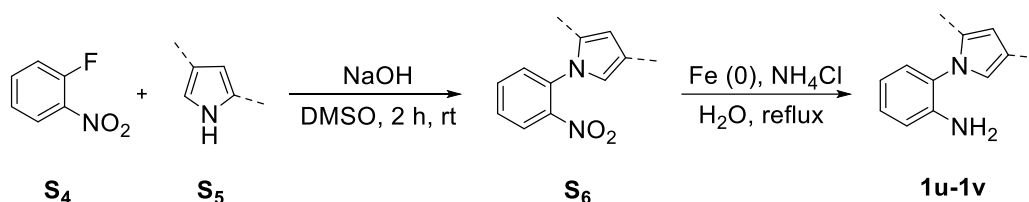
Synthesis of 2-(1*H*-pyrrol-1-yl)anilines

1. General procedure for the synthesis of 1b-1t ^[1]



Compound **1a** was purchased from commercial sources and used as received. Substituted 2-(1*H*-pyrrol-1-yl)anilines **1b-1t** were prepared in the following method. A mixture of substituted **S₁** (5 mmol) and **S₂** (5 mmol) in acetic acid (25 mL) was refluxed for 2 h with vigorous stirring. After cooling, the reaction mixture was poured into water (100 mL) and extracted with ethyl acetate three times (3×20 mL). The combined organic layers were dried with anhydrous Na₂SO₄ and the solvent was removed in vacuo to afford **S₃**. Then, the residue **S₃** was added to iron powder (20 mmol) and NH₄Cl (6 mmol) in water (60 mL) and refluxed for 4 h. After cooling, the reaction mixture was poured into water (100 mL) and extracted with ethyl acetate three times (3×20 mL). The combined organic layers were dried with anhydrous Na₂SO₄ and the solvent was removed in vacuo to afford a residue. The residue was purified by column chromatography on silica gel using petroleum ether/EtOAc as eluent to provide the desired product **1b-1t**.

2. General procedure for the synthesis of 1u-1v ^[2]

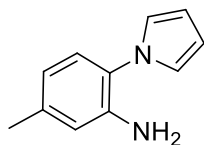


To a well-stirred solution of *N*-heterocycle **S₅** (5.0 mmol) in DMSO (5.0 mL), NaOH (5.0 mmol) and 1-fluoro-2-nitrobenzene **S₄** (5.0 mmol) were added slowly. The reaction mixture was stirred vigorously for 2 h at room temperature until no more starting material was detected by TLC analysis. The reaction mixture was extracted with ethyl acetate and saturated brine. Then the organic phase was combined and dried with anhydrous Na₂SO₄. The solvent was evaporated to obtain desired products **S₆** which were directly used for next step without further purification.

The residue **S₆** was added to iron powder (20 mmol) and NH₄Cl (6 mmol) in water (60 mL) and refluxed for 4 h. After cooling, the reaction mixture was poured into water (100 mL) and extracted with ethyl acetate three times (3×60 mL). The combined

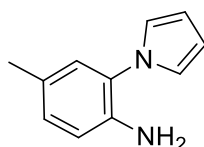
organic layers were dried with anhydrous Na_2SO_4 and the solvent was removed in vacuo to afford the residue. The residue was purified by column chromatography on silica gel to provide the desired products **1u-1v**.

Characterization data of products



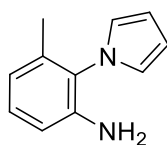
5-methyl-2-(1*H*-pyrrol-1-yl)aniline (**1b**)

White solid (627.8 mg, 73% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.03-7.01 (d, J = 8.0 Hz, 1 H), 6.80-6.79 (m, 2 H), 6.60-6.56 (m, 2 H), 6.32-6.31 (m, 2 H), 3.62 (br s, 2 H), 2.30 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 141.9, 138.6, 127.0, 125.2, 121.9, 119.2, 116.6, 109.2, 21.2.



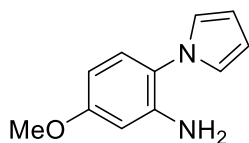
4-methyl-2-(1*H*-pyrrol-1-yl)aniline (**1c**)

White solid (610.6 mg, 71% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 6.97-6.96 (m, 2 H), 6.83-6.82 (m, 2 H), 6.70 (d, J = 6.4 Hz, 1 H), 6.33-6.32 (m, 2 H), 3.57 (br s, 2 H), 2.26 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 139.3, 129.0, 127.9, 127.5, 127.4, 121.6, 116.2, 109.2, 20.2.



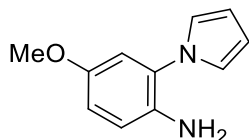
3-methyl-2-(1*H*-pyrrol-1-yl)aniline (**1d**)

White solid (626.9 mg, 73% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.09-7.05 (m, 1 H), 6.65-6.64 (m, 4 H), 6.36-6.35 (m, 2 H), 3.43 (br s, 2 H), 2.00 (s, 3 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 143.8, 136.9, 128.7, 126.7, 121.4, 119.6, 113.1, 109.3, 17.1.



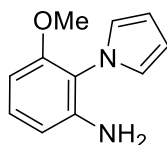
5-methoxy-2-(1*H*-pyrrol-1-yl)aniline (**1e**)

White solid (639.8 mg, 68% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.07-7.05 (m, 1 H), 6.78-6.77 (m, 2 H), 6.34-6.31 (m, 4 H), 3.79 (s, 3 H), 3.68 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 159.9, 143.4, 128.2, 122.1, 121.2, 109.2, 103.6, 101.1, 55.4.



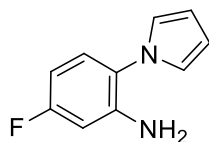
4-methoxy-2-(1*H*-pyrrol-1-yl)aniline (1f)

White solid (695.6 mg, 74% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 6.85-6.84 (m, 2 H), 6.79-6.73 (m, 3 H), 6.34-6.33 (m, 2 H), 3.74 (s, 3 H), 3.44 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 152.5, 135.5, 128.1, 121.7, 117.3, 114.8, 112.5, 109.5, 55.9.



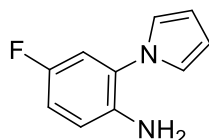
3-methoxy-2-(1*H*-pyrrol-1-yl)aniline (1g)

White solid (625.5 mg, 65% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.11-7.07 (m, 1 H), 6.68-6.67 (m, 2 H), 6.39-6.33 (m, 4 H), 3.69 (s, 3 H), 3.56 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.2, 144.7, 129.0, 122.0, 116.1, 108.9, 108.2, 100.9, 55.7.



5-fluoro-2-(1*H*-pyrrol-1-yl)aniline (1h)

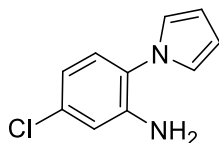
White solid (589.4 mg, 67% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.09-7.05 (m, 1 H), 6.77-6.76 (m, 2 H), 6.48-6.42 (m, 2 H), 6.33 (d, J = 2.4 Hz, 2 H), 3.77 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 162.8 (d, J = 243.1 Hz, 1 C), 144.0 (d, J = 11.7 Hz, 1 C), 128.6 (d, J = 10.7 Hz, 1 C), 123.6, 121.9, 109.6, 104.8 (d, J = 22.9 Hz, 1 C), 102.4 (d, J = 25.9 Hz, 1 C).



4-fluoro-2-(1*H*-pyrrol-1-yl)aniline (1i)

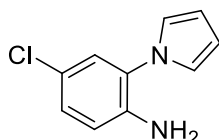
White solid (580.6 mg, 66% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 6.91-6.87

(m, 2 H), 6.83 (d, $J = 2.0$ Hz, 2 H), 6.74-6.70 (m, 1 H), 6.35-6.34 (m, 2 H), 3.59 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 155.5$ (d, $J = 236.3$ Hz, 1 C), 138.1 (d, $J = 2.4$ Hz, 1 C), 127.7 (d, $J = 9.5$ Hz, 1 C), 121.5, 116.7 (d, $J = 8.2$ Hz, 1 C), 115.2 (d, $J = 21.9$ Hz, 1 C), 114.0 (d, $J = 23.6$ Hz, 1 C), 109.8.



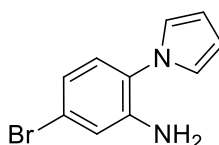
5-chloro-2-(1*H*-pyrrol-1-yl)aniline (1j)

White solid (720.0 mg, 75% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.06$ -7.04 (d, $J = 8.4$ Hz, 1 H), 6.79-7.77 (m, 3 H), 6.75-6.72 (m, 1 H), 6.34-6.33 (m, 2 H), 3.77 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 143.2$, 134.0, 128.2, 125.9, 121.6, 118.2, 115.6, 109.8.



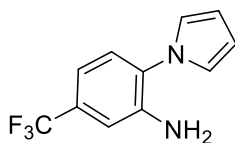
4-chloro-2-(1*H*-pyrrol-1-yl)aniline (1k)

White solid (729.6 mg, 76% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.14$ -7.09 (m, 2 H), 6.81-6.80 (m, 2 H), 6.70 (d, $J = 8.4$ Hz, 1 H), 6.34-6.33 (m, 2 H), 3.72 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 140.7$, 128.4, 128.0, 127.0, 122.6, 121.5, 116.9, 109.9.



5-bromo-2-(1*H*-pyrrol-1-yl)aniline (1l)

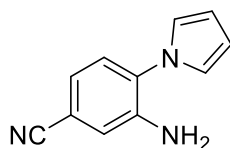
White solid (810.8 mg, 69% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.00$ -6.97 (m, 1 H), 6.94-6.93 (m, 1 H), 6.90-6.86 (m, 1 H), 6.80-6.78 (m, 2 H), 6.34-6.33 (m, 2 H), 3.77 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 143.6$, 128.6, 126.6, 122.1, 121.8, 121.4, 118.7, 110.0.



2-(1*H*-pyrrol-1-yl)-5-(trifluoromethyl)aniline (1m)

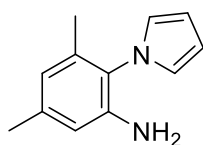
White solid (723.2 mg, 64% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.23$ (d, $J = 10.2$ Hz, 1 H), 7.03-7.01 (m, 2 H), 6.85-6.83 (m, 2 H), 6.38-6.36 (m, 2 H), 3.93 (br s, 2

H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 142.4, 130.8 (q, J = 32.2 Hz, 1 C), 130.0, 127.6, 124.2 (q, J = 270.6 Hz, 1 C), 121.6, 115.2 (q, J = 3.7 Hz, 1 C), 113.0 (q, J = 3.7 Hz, 1 C), 110.3.



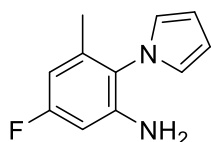
3-amino-4-(1H-pyrrol-1-yl)benzonitrile (1n)

White solid (539.8 mg, 59% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.43-7.41 (m, 2 H), 6.81-6.78 (m, 3 H), 6.38-6.36 (m, 2 H), 4.31 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 146.5, 132.7, 131.2, 126.8, 121.4, 119.2, 115.7, 110.4, 100.2.



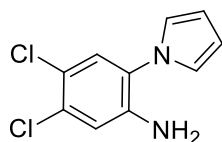
3,5-dimethyl-2-(1H-pyrrol-1-yl)aniline (1o)

White solid (675.9 mg, 73% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 6.64-6.63 (m, 2 H), 6.48 (d, J = 7.6 Hz, 3 H), 6.35-6.34 (m, 2 H), 3.45 (br s, 2 H), 2.26 (s, 3H), 1.96 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 143.6, 138.8, 136.7, 124.5, 121.7, 120.7, 113.8, 109.2, 21.3, 17.1.



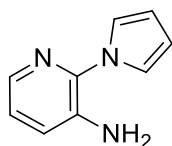
5-fluoro-3-methyl-2-(1H-pyrrol-1-yl)aniline (1p)

White solid (618.4 mg, 65% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 6.62-6.61 (m, 2 H), 6.37-6.31 (m, 4 H), 3.60 (br s, 2 H), 1.96 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 162.6 (d, J = 242.6 Hz, 1 C), 145.3 (d, J = 12.3 Hz, 1 C), 139.0 (d, J = 30.3 Hz, 1 C), 122.7 (d, J = 2.5 Hz, 1 C), 121.6, 109.6, 106.1 (d, J = 22.3 Hz, 1 C), 99.6 (d, J = 25.6 Hz, 1 C), 17.4 (d, J = 1.8 Hz, 1 C).



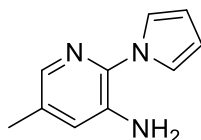
4,5-dichloro-2-(1H-pyrrol-1-yl)aniline (1q)

White solid (757.1 mg, 67% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.22 (s, 1 H), 6.88 (s, 1 H), 6.79-6.77 (m, 2 H), 6.35-6.33 (m, 2 H), 3.79 (br s, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 141.8, 132.2, 128.6, 126.9, 121.7, 120.8, 117.1, 110.4.



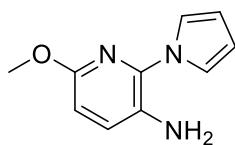
2-(1*H*-pyrrol-1-yl)pyridin-3-amine (1r)

White solid (615.3 mg, 77% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.92-7.90 (m, 1 H), 7.15-7.14 (m, 2 H), 7.10-7.06 (m, 2 H), 6.36-6.35 (m, 2 H), 3.87 (br s, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 139.8, 138.6, 136.1, 124.4, 123.1, 120.4, 110.2.



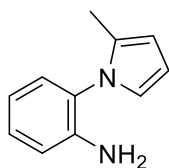
5-methyl-2-(1*H*-pyrrol-1-yl)pyridin-3-amine (1s)

White solid (650.4 mg, 75% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.75-7.74 (m, 1 H), 7.11-7.09 (m, 2 H), 6.94-6.93 (m, 1 H), 6.35-6.34 (m, 2 H), 3.79 (br s, 2 H), 2.28 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 138.8, 137.7, 135.5, 133.0, 124.8, 120.3, 109.8, 17.9.



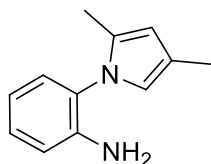
2-(cyclopenta-2,4-dien-1-yl)-6-methoxypyridin-3-amine (1t)

White solid (670.2 mg, 71% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.24-7.23 (m, 2 H), 7.14-7.02 (m, 1 H), 6.71-6.69 (m, 1 H), 6.59-6.57 (m, 1 H), 6.36-6.35 (m, 2 H), 3.86 (s, 3 H), 3.49 (br s, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 138.8, 137.7, 135.5, 133.0, 124.8, 120.2, 109.7, 53.6.



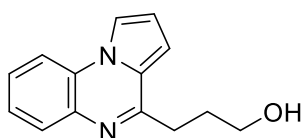
2-(2-methyl-1*H*-pyrrol-1-yl)aniline (1u)

White solid (634.7 mg, 74% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.21-7.17 (m, 1 H), 7.11-7.09 (m, 1 H), 6.80-6.76 (m, 2 H), 6.63-6.62 (m, 1 H), 6.23-6.21 (m, 1 H), 6.05-6.03 (m, 1 H), 3.52 (br s, 2 H), 2.05 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 143.7, 129.9, 129.2, 128.7, 126.1, 120.9, 118.2, 115.7, 108.4, 107.1, 11.9.



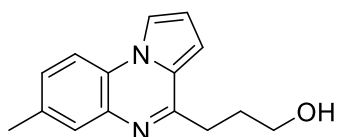
2-(2,4-dimethyl-1H-pyrrol-1-yl)aniline (1v)

White solid (625.9 mg, 67% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.19-7.13 (m, 1H), 7.08-7.05 (m, 1 H), 6.77-6.72 (m, 2 H), 6.37 (s, 1 H), 5.88 (s, 1 H), 3.53 (br s, 2 H), 2.10 (d, J = 0.4 Hz, 3 H), 1.99 (d, J = 0.8 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 143.8, 129.8, 129.0, 128.7, 126.3, 119.0, 118.6, 118.1, 115.7, 108.9, 12.0, 11.9.



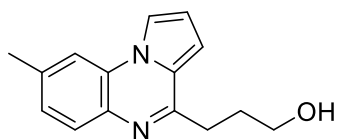
3-(pyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3aa)^[3]

Yellow oil (40.2 mg, 89% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.90-7.86 (m, 2 H), 7.81-7.79 (m, 2 H), 7.48-7.38 (m, 2 H), 6.95-6.93 (m, 1 H), 6.85-6.83 (m, 1 H), 4.28 (br s, 1 H), 3.82 (t, J = 8.4 Hz, 2 H), 3.23 (t, J = 6.8 Hz, 2 H), 2.20-2.14 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.7, 135.0, 128.9, 127.2, 127.2, 125.8, 125.2, 114.7, 113.8, 113.7, 106.9, 62.5, 32.8, 29.7; HRMS calcd for $\text{C}_{22}\text{H}_{17}\text{O}$ $[\text{M}+\text{H}]^+$ 227.1179; found: 227.1176.



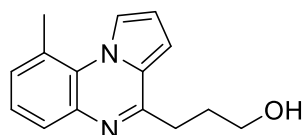
3-(7-methylpyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3ba)

Light yellow solid (39.8 mg, 83% yield), melting point: 108-110 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.87-7.86 (m, 1 H), 7.70-7.68 (m, 2 H), 7.29-7.26 (m, 1 H), 6.93-6.91 (m, 1 H), 6.83-6.81 (m, 1 H), 4.67 (br s, 1 H), 3.82 (t, J = 5.6 Hz, 2 H), 3.23 (t, J = 6.4 Hz, 2 H), 2.46 (s, 3 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.6, 135.1, 134.9, 128.7, 128.4, 125.7, 125.0, 114.6, 113.5, 113.4, 106.7, 62.6, 32.9, 29.6, 21.1; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 241.1335; found: 241.1329.



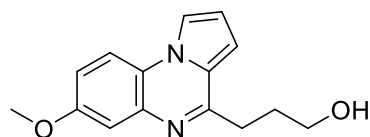
3-(8-methylpyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3ca)

Yellow oil (29.3 mg, 61% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.90-7.89 (m, 1 H), 7.78 (d, J = 8.4 Hz, 1 H), 7.63 (s, 1 H), 7.24 (d, J = 8 Hz, 1 H), 6.94-6.93 (m, 1 H), 6.86-6.85 (m, 1 H), 3.81 (t, J = 5.6 Hz, 2 H), 3.24 (t, J = 6.8 Hz, 2 H), 2.54 (s, 3 H), 2.20-2.14 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 155.6, 137.8, 132.9, 128.6, 126.9, 126.6, 125.9, 114.5, 113.8, 113.7, 106.6, 62.7, 32.8, 29.5, 21.8; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 241.1335; found: 241.1328.



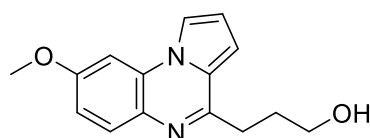
3-(9-methylpyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3da)

Yellow oil (23.5 mg, 49% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 8.33-8.32 (m, 1 H), 7.79-7.76 (m, 1 H), 7.31-7.29 (m, 2 H), 7.00-7.29 (m, 1 H), 6.86-6.84 (m, 1 H), 3.82 (t, J = 5.6 Hz, 2 H), 3.25 (t, J = 6.4 Hz, 2 H), 2.93 (s, 3 H), 2.20-2.14 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.2, 136.5, 130.8, 127.5, 127.3, 127.0, 125.4, 124.7, 120.5, 113.1, 106.4, 62.7, 32.7, 29.5, 23.9; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 241.1335; found: 241.1327.



3-(7-methoxypyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ea)

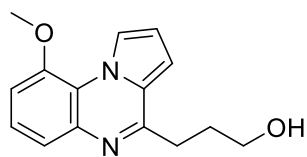
Light yellow solid (32.2 mg, 63% yield), melting point: 105-107 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.82-7.81 (m, 1 H), 7.69 (d, J = 8.8 Hz, 1 H), 7.31 (d, J = 2.8 Hz, 1 H), 7.08-7.05 (m, 1 H), 6.91-6.90 (m, 1 H), 6.81-6.79 (m, 1 H), 3.88 (s, 3 H), 3.82 (t, J = 5.6 Hz, 2 H), 3.21 (t, J = 6.8 Hz, 2 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 157.1, 157.0, 136.2, 125.5, 121.4, 116.4, 114.6, 114.3, 113.40, 110.2, 106.5, 62.6, 55.7, 32.8, 29.8; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ 257.1285; found: 257.1280.



3-(8-methoxypyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3fa)

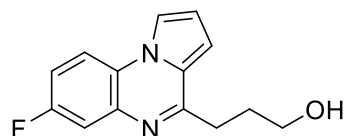
Light yellow solid (33.8 mg, 66% yield), melting point: 105-108 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.81-7.79 (m, 2 H), 7.22 (d, J = 2.4 Hz, 1 H), 7.02-6.99 (m, 1 H), 6.91-6.90 (m, 1 H), 6.86-6.84 (m, 1 H), 3.94 (s, 3 H), 3.81 (t, J = 5.6 Hz, 2 H), 3.22

(t, $J = 6.4$ Hz, 2 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 158.8$, 154.0, 130.1, 129.4, 127.9, 125.8, 114.3, 113.8, 112.6, 106.4, 97.6, 62.7, 55.8, 32.7, 29.6; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ 257.1285; found: 257.1277.



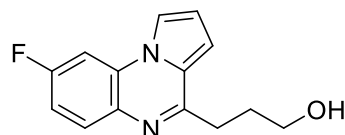
3-(9-methoxypyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ga)

Light yellow solid (25.6 mg, 50% yield), melting point: 101-103 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 8.76$ -8.75 (m, 1 H), 7.53-7.51 (m, 1 H), 7.34 (t, $J = 8.4$ Hz, 1 H), 7.03 (d, $J = 7.2$ Hz, 1 H), 6.99-6.98 (m, 1 H), 6.83-6.81 (m, 1 H), 4.07 (s, 3 H), 3.81 (t, $J = 5.6$ Hz, 2 H), 3.25 (t, $J = 6.4$ Hz, 2 H), 2.20-2.14 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 156.8$, 149.8, 137.1, 126.2, 124.5, 122.6, 120.9, 118.5, 112.7, 108.8, 106.3, 62.7, 56.2, 32.8, 29.5; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ 257.1285; found: 257.1279.



3-(7-fluoropyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ha)

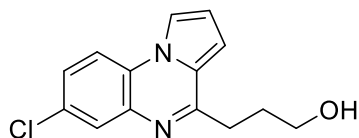
Yellow oil (35.1 mg, 72% yield), melting point: 105-108 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.86$ -7.85 (m, 1 H), 7.76-7.72 (m, 1 H), 7.55-7.52 (m, 1 H), 7.21-7.16 (m, 1 H), 6.96-6.94 (m, 1 H), 6.85-6.83 (m, 1 H), 4.47 (br s, 1 H), 3.81 (t, $J = 5.6$ Hz, 2 H), 3.20 (t, $J = 6.8$ Hz, 2 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 159.8$ (d, $J = 242.4$ Hz, 1 C), 158.1, 136.3 (d, $J = 11.3$ Hz, 1 C), 125.6, 123.8 (d, $J = 1.6$ Hz, 1 C), 114.9 (d, $J = 13.3$ Hz, 1 C), 114.9, 114.7 (d, $J = 6.8$ Hz, 1 C), 114.3 (d, $J = 22.3$ Hz, 1 C), 113.9, 107.2, 62.4, 32.6, 29.8; ^{19}F NMR (377 MHz, CDCl_3 , ppm): $\delta = -116.5$; HRMS calcd for $\text{C}_{14}\text{H}_{13}\text{FN}_2\text{O}$ $[\text{M}+\text{H}]^+$ 245.1085; found: 245.1078.



3-(8-fluoropyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ia)

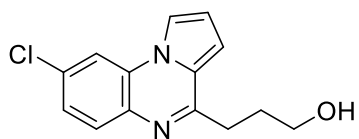
Light yellow solid (29.8 mg, 61% yield), melting point: 108-111 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.87$ -7.83 (m, 1 H), 7.80-7.79 (m, 1 H), 7.49-7.46 (m, 1 H), 7.15-7.10 (m, 1 H), 6.95-6.94 (m, 1 H), 6.88-6.87 (m, 1 H), 3.81 (t, $J = 5.6$ Hz, 2 H), 3.22 (t, $J = 6.8$ Hz, 2 H), 2.20-2.14 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta =$

161.2 (d, $J = 246.3$ Hz, 1 C), 155.9 (d, $J = 2.5$ Hz, 1 C), 131.7 (d, $J = 2.3$ Hz, 1 C), 130.7 (d, $J = 9.7$ Hz, 1 C), 127.8 (d, $J = 11.2$ Hz, 1 C), 125.5, 114.9, 114.2, 113.1 (d, $J = 23$ Hz, 1 C), 107.1, 100.5 (d, $J = 26.7$ Hz, 1 C), 62.6, 32.6, 29.6; ^{19}F NMR (377 MHz, CDCl_3 , ppm): $\delta = -111.3$; HRMS calcd for $\text{C}_{14}\text{H}_{13}\text{FN}_2\text{O}$ $[\text{M}+\text{H}]^+$ 245.1085; found: 245.1079.



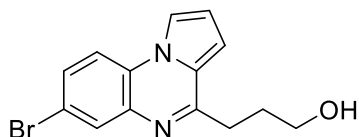
3-(7-chloropyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ja)

Light yellow solid (35.9 mg, 69% yield), melting point: 115-118 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.88$ -7.86 (m, 2 H), 7.73 (d, $J = 8.8$ Hz, 1 H), 7.43-7.40 (m, 1 H), 6.98-6.96 (m, 1 H), 6.88-6.86 (m, 1 H), 3.81 (t, $J = 5.6$ Hz, 2 H), 3.22 (t, $J = 6.8$ Hz, 2 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 158.0$, 136.0, 130.4, 128.4, 127.2, 125.8, 125.7, 115.0, 114.8, 114.2, 107.5, 62.5, 32.6, 29.6; HRMS calcd for $\text{C}_{14}\text{H}_{13}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$ 261.0789; found: 261.0784.



3-(8-chloropyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ka)

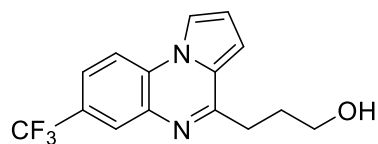
Light yellow solid (28.6 mg, 55% yield), melting point: 88-90 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 7.84$ -7.83 (m, 1 H), 7.80-7.78 (m, 2 H), 7.36-7.33 (m, 1 H), 6.96-6.95 (m, 1 H), 6.88-6.86 (m, 1 H), 3.81 (t, $J = 6$ Hz, 2 H), 3.21 (t, $J = 6.8$ Hz, 2 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 157.0$, 133.6, 132.6, 130.1, 127.7, 125.7, 125.6, 114.9, 114.3, 113.8, 107.4, 62.5, 32.6, 29.6; HRMS calcd for $\text{C}_{14}\text{H}_{13}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$ 261.0789; found: 261.0783.



3-(7-bromopyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3la)

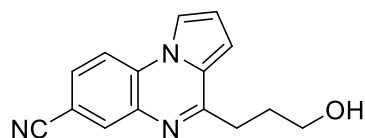
Light yellow solid (26.8 mg, 44% yield), melting point: 130-133 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): $\delta = 8.06$ -8.05 (m, 1 H), 7.90-7.89 (m, 1 H), 7.71-7.68 (m, 1 H), 7.59-7.55 (m, 1 H), 6.99-6.97 (m, 1 H), 6.89-6.87 (m, 1 H), 4.11 (br s, 1 H), 3.81 (t, $J = 7.2$ Hz, 2 H), 3.23 (t, $J = 8.4$ Hz, 2 H), 2.21-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): $\delta = 157.9$, 136.4, 131.5, 129.9, 126.2, 125.7, 117.8, 115.0, 114.9, 114.1,

107.4, 62.5, 32.6, 29.5; HRMS calcd for C₁₄H₁₃BrN₂O [M+H]⁺ 305.0284; found: 305.0278.



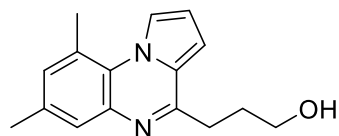
3-(7-(trifluoromethyl)pyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3ma)

Light yellow solid (44.7 mg, 76% yield), melting point: 110-113 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.18 (s, 1 H), 7.96-7.95 (m, 1 H), 7.92-7.89 (m, 1 H), 7.72-7.68 (m, 1 H), 7.03-7.01 (m, 1 H), 6.93-6.91 (m, 1 H), 3.88 (br s, 1 H), 3.82 (t, *J* = 7.6 Hz, 2 H), 3.24 (t, *J* = 8.8 Hz, 2 H), 2.23-2.15 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 158.4, 135.0, 129.3, 126.9 (q, *J* = 32.8 Hz, 1 C), 126.7 (q, *J* = 4.0 Hz, 1 C), 126.0, 123.6 (q, *J* = 3.6 Hz, 1 C), 122.1, 115.3, 114.7, 114.4, 107.9, 62.5, 32.5, 29.6; ¹⁹F NMR (377 MHz, CDCl₃, ppm): δ = -61.9; HRMS calcd for C₁₅H₁₄F₃N₂O [M+H]⁺ 295.1053; found: 295.1041.



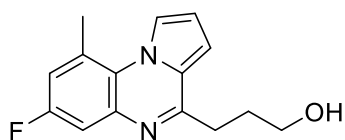
4-(3-hydroxypropyl)pyrrolo[1,2-a]quinoxaline-7-carbonitrile (3na)

Light yellow solid (20.1 mg, 40% yield), melting point: 147-149 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.20 (d, *J* = 2 Hz, 1 H), 7.96-7.95 (m, 1 H), 7.90 (d, *J* = 8.4 Hz, 1 H), 7.73-7.70 (m, 1 H), 7.06-7.05 (m, 1 H), 6.97-6.95 (m, 1 H), 3.82 (t, *J* = 5.6 Hz, 2 H), 3.24 (t, *J* = 6.8 Hz, 2 H), 2.22-2.15 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 159.0, 135.3, 133.6, 130.2, 129.9, 126.0, 118.4, 115.7, 115.3, 114.9, 108.6, 108.5, 62.4, 32.4, 29.6; HRMS calcd for C₁₅H₁₃N₃O [M+H]⁺ 252.1131; found: 252.1129.



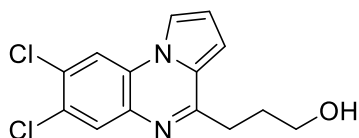
3-(7,9-dimethylpyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3oa)

Yellow oil (22.9 mg, 45% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.28-8.27 (m, 1 H), 7.58 (s, 1 H), 7.11 (s, 1 H), 6.97-6.96 (m, 1 H), 6.84-6.82 (m, 1 H), 3.81 (t, *J* = 5.2 Hz, 2 H), 3.24 (t, *J* = 6.4 Hz, 2 H), 2.89 (s, 3 H), 2.42 (s, 3 H), 2.19-2.13 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 156.0, 136.4, 134.4, 132.0, 127.1, 126.9, 125.3, 125.0, 120.2, 112.9, 106.2, 62.7, 32.8, 29.4, 23.7, 20.7; HRMS calcd for C₁₆H₁₈N₂O [M+H]⁺ 255.1492; found: 255.1486.



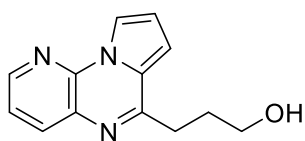
3-(7-fluoro-9-methylpyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3pa)

Light yellow solid (31.0 mg, 60% yield), melting point: 122-124 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.25-8.23 (m, 1 H), 7.44-7.41 (m, 1 H), 7.02-6.98 (m, 2 H), 6.84-6.83 (m, 1 H), 4.50 (br s, 1 H), 3.80 (t, *J* = 5.6 Hz, 2 H), 3.20 (t, *J* = 6.4 Hz, 2 H), 2.89 (s, 3 H), 2.18-2.12 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 158.6 (d, *J* = 242.1 Hz, 1 C), 157.3, 138.0 (d, *J* = 11.6 Hz, 1 C), 127.4 (d, *J* = 8.7 Hz, 1 C), 126.9, 124.1 (d, *J* = 2.6 Hz, 1 C), 120.3, 117.9 (d, *J* = 23.4 Hz, 1 C), 113.2, 112.5 (d, *J* = 21.7 Hz, 1 C), 106.6, 62.5, 32.5, 29.6, 24.0 (d, *J* = 1.4 Hz, 1 C); ¹⁹F NMR (377 MHz, CDCl₃, ppm): δ = -118.0; HRMS calcd for C₁₅H₁₆FN₂O [M+H]⁺ 259.1241; found: 259.1236.



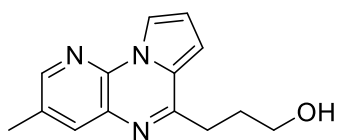
3-(7,8-dichloropyrrolo[1,2-a]quinoxalin-4-yl)propan-1-ol (3qa)

Light yellow solid (21.8 mg, 37% yield), melting point: 130-133 °C. ¹H NMR (400 MHz, *d*₆-DMSO, ppm): δ = 8.60 (s, 1 H), 8.48-8.47 (m, 1 H), 7.96 (s, 1 H), 7.10-7.08 (m, 1 H), 6.94-6.91 (m, 1 H), 4.58 (t, *J* = 6.8 Hz, 1 H), 3.58-3.52 (m, 2 H), 3.00 (t, *J* = 10 Hz, 2 H), 2.01-1.92 (m, 2 H); ¹³C NMR (100 MHz, *d*₆-DMSO, ppm): δ = 158.5, 135.1, 129.4, 128.9, 127.0, 126.4, 124.9, 117.1, 116.5, 114.3, 107.6, 60.4, 31.2, 30.6; HRMS calcd for C₁₄H₁₂Cl₂N₂O [M+H]⁺ 295.0399; found: 295.0392.



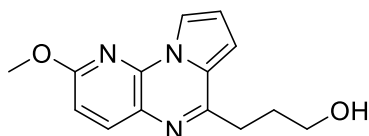
3-(pyrido[3,2-e]pyrrolo[1,2-a]pyrazin-6-yl)propan-1-ol (3ra)

Black oil (25.9 mg, 57% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.51-8.50 (m, 1 H), 8.39-8.38 (m, 1 H), 8.18-8.16 (m, 1 H), 7.43-7.40 (m, 1 H), 7.03-7.02 (m, 1 H), 6.90-6.89 (m, 1 H), 3.82 (t, *J* = 6 Hz, 2 H), 3.24 (t, *J* = 6.8 Hz, 2 H), 2.21-2.15 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 157.9, 146.4, 139.3, 136.3, 130.1, 127.2, 121.6, 116.1, 114.3, 108.5, 62.5, 32.5, 29.8; HRMS calcd for C₁₃H₁₃N₃O [M+H]⁺ 228.1131; found: 228.1134.



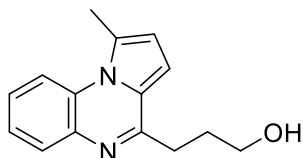
3-(3-methylpyrido[3,2-*e*]pyrrolo[1,2-*a*]pyrazin-6-yl)propan-1-ol (3sa)

Yellow oil (25.1 mg, 52% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 8.35-8.33 (m, 2 H), 7.97 (s, 1 H), 7.00-6.99 (m, 1 H), 6.88-6.86 (m, 1 H), 3.81 (t, J = 5.6 Hz, 2 H), 3.24 (t, J = 6.4 Hz, 2 H), 2.48 (s, 3 H), 2.20-2.14 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 157.8, 146.9, 137.4, 136.3, 131.4, 129.6, 127.1, 115.8, 114.0, 108.2, 62.6, 32.6, 29.6, 18.1; HRMS calcd for $\text{C}_{14}\text{H}_{15}\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ 242.1288; found: 242.1279.



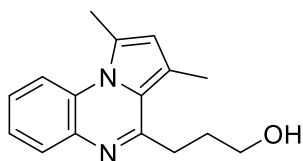
3-(2-methoxy-9aH-cyclopenta[*c*][1,5]naphthyridin-6-yl)propan-1-ol (3ta)

Yellow oil (18.0 mg, 35% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 8.24-8.23 (m, 1 H), 8.07 (d, J = 8.8 Hz, 1 H), 6.95-6.94 (m, 1 H), 6.89-6.88 (m, 1 H), 6.84 (d, J = 8.8 Hz, 1 H), 4.08 (s, 3 H), 3.80 (t, J = 5.6 Hz, 2 H), 3.22 (t, J = 6.4 Hz, 2 H), 2.19-2.13 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 161.7, 154.2, 139.2, 136.6, 127.3, 124.3, 115.0, 114.1, 109.3, 106.9, 62.5, 54.1, 32.4, 29.8; HRMS calcd for $\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ 258.1237; found: 258.1244.



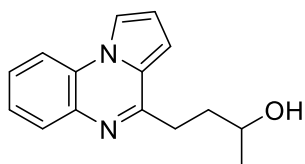
3-(1-methylpyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3ua)

Yellow oil (21.1 mg, 44% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 8.23-8.21 (m, 1 H), 7.89-7.86 (m, 1 H), 7.43-7.36 (m, 2 H), 6.89 (d, J = 4 Hz, 1 H), 6.57 (d, J = 4 Hz, 1 H), 3.80 (t, J = 5.6 Hz, 2 H), 3.20 (t, J = 6.4 Hz, 2 H), 2.94 (s, 3 H), 2.18-2.12 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.5, 136.3, 129.7, 129.5, 128.8, 126.6, 126.3, 124.8, 115.3, 115.2, 106.3, 62.6, 32.5, 29.8, 17.8; HRMS calcd for $\text{C}_{15}\text{H}_{17}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 241.1335; found: 241.1329.



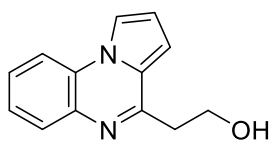
3-(1,3-dimethylpyrrolo[1,2-*a*]quinoxalin-4-yl)propan-1-ol (3va)

Light yellow solid (17.3 mg, 34% yield), melting point: 109-113 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 8.15-8.13 (m, 1 H), 7.80-7.78 (m, 1 H), 7.36-7.31 (m, 2 H), 6.38 (s, 1 H), 3.79 (t, J = 5.2 Hz, 2 H), 3.30 (t, J = 6.8 Hz, 2 H), 2.86 (s, 3 H), 2.57 (s, 3 H), 2.16-2.10 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 157.1, 136.0, 129.7, 128.3, 128.0, 125.9, 124.5, 123.6, 118.8, 117.6, 62.5, 33.9, 29.7, 17.8, 14.9; HRMS calcd for $\text{C}_{16}\text{H}_{19}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 255.1492; found: 255.1485.



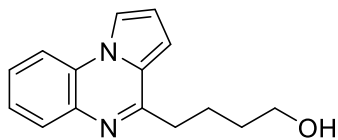
4-(pyrrolo[1,2-a]quinoxalin-4-yl)butan-2-ol (3ab)

Yellow oil (35.5 mg, 74% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.95-7.90 (m, 2 H), 7.85-7.83 (m, 1 H), 7.52-7.41 (m, 2 H), 6.98-6.97 (m, 1 H), 6.89-6.87 (m, 1 H), 5.06 (br s, 1 H), 4.03-3.95 (m, 1 H), 3.35-3.18 (m, 2 H), 2.12-2.01 (m, 2 H), 1.30 (d, J = 6.4 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.9, 135.0, 129.0, 127.2, 127.2, 125.8, 125.3, 114.7, 113.7, 113.7, 106.8, 67.6, 35.9, 31.9, 23.6; HRMS calcd for $\text{C}_{15}\text{H}_{17}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 241.1335; found: 241.1327.



2-(pyrrolo[1,2-a]quinoxalin-4-yl)ethan-1-ol (3ac)

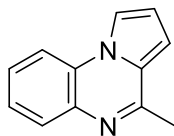
Light yellow solid (17.0 mg, 40% yield), melting point: 121-123 °C. ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.94-7.93 (m, 3 H), 7.52-7.41 (m, 2 H), 6.91-6.85 (m, 2 H), 4.67 (s, 1 H), 4.21 (s, 1 H), 3.24 (t, J = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 156.1, 135.0, 129.3, 129.1, 127.2, 125.7, 125.1, 114.6, 113.7, 113.6, 106.4, 60.3, 35.3; HRMS calcd for $\text{C}_{13}\text{H}_{12}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 213.1022; found: 213.1017.



4-(pyrrolo[1,2-a]quinoxalin-4-yl)butan-1-ol (3ad)

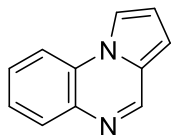
Yellow oil (19.7 mg, 41% yield). ^1H NMR (400 MHz, CDCl_3 , ppm): δ = 7.92-7.89 (m, 2 H), 7.84-7.82 (m, 1 H), 7.50-7.40 (m, 2 H), 6.93-6.92 (m, 1 H), 6.86-6.84 (m, 1 H), 3.70 (t, J = 6 Hz, 2 H), 3.09 (t, J = 7.6 Hz, 2 H), 2.09-2.01 (m, 2 H), 1.79-1.72 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3 , ppm): δ = 157.1, 135.5, 129.2, 127.3, 127.1, 125.9, 125.2,

114.4, 113.7, 113.6, 106.5, 62.0, 34.4, 32.4, 23.4; HRMS calcd for C₁₅H₁₇N₂O [M+H]⁺ 241.1335; found: 241.1328.



pyrrolo[1,2-*a*]quinoxalin-4-ylmethanol (4aa)

Light yellow solid (19.3 mg, 53% yield), melting point: 132-133 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.92-7.90 (m, 2 H), 7.82 (d, *J* = 7.6 Hz, 1 H), 7.49-7.40 (m, 2 H), 6.90-6.89 (m, 1 H), 6.86-6.84 (m, 1 H), 2.73 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 153.7, 135.9, 129.2, 127.3, 126.9, 126.3, 125.1, 114.3, 113.7, 113.5, 106.5, 22.0; HRMS calcd for C₁₂H₁₁N₂ [M+H]⁺ 183.0917; found: 183.0911.



pyrrolo[1,2-*a*]quinoxaline (4ab)

4ab-1

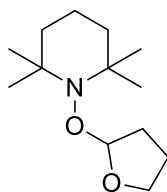
White solid (12.1 mg, 36% yield), melting point: 126-128 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.81 (s, 1 H), 7.97-7.92 (m, 2 H), 7.86 (d, *J* = 8 Hz, 1 H), 7.54-7.50 (m, 1 H), 7.47-7.43 (m, 1 H), 6.91-6.87 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 145.8, 135.8, 130.1, 128.0, 127.8, 126.4, 125.2, 114.2, 114.1, 113.8, 107.4; HRMS calcd for C₁₁H₉N₂ [M+H]⁺ 169.0760; found: 169.0762.

4ab-2

White solid (18.8 mg, 56% yield), melting point: 126-128 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.81 (s, 1 H), 7.97-7.92 (m, 2 H), 7.86 (d, *J* = 8 Hz, 1 H), 7.54-7.50 (m, 1 H), 7.46-7.43 (m, 1 H), 6.91-6.87 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 145.8, 135.8, 130.1, 128.0, 127.8, 126.5, 125.2, 114.2, 114.0, 113.8, 107.3; HRMS calcd for C₁₁H₉N₂ [M+H]⁺ 169.0760; found: 169.0760.

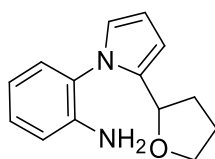
4ab-3

White solid (14.8 mg, 44% yield), melting point: 126-128 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.81 (s, 1 H), 7.96-7.91 (m, 2 H), 7.85 (d, *J* = 8.4 Hz, 1 H), 7.53-7.49 (m, 1 H), 7.46-7.42 (m, 1 H), 6.90-6.87 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 145.8, 135.8, 130.1, 128.0, 127.8, 126.5, 125.2, 114.2, 114.0, 113.8, 107.3; HRMS calcd for C₁₁H₉N₂ [M+H]⁺ 169.0760; found: 169.0759.



2,2,6,6-tetramethyl-1-((tetrahydrofuran-2-yl)oxy)piperidine (5) ^[4]

Colorless oil (16.8 mg, 37% yield). ¹H NMR (400 MHz, CDCl₃, ppm): δ = 5.37-5.34 (m, 1 H), 3.92-3.79 (m, 2 H), 2.04-1.74 (m, 4 H), 1.59-1.39 (m, 5 H), 1.34-1.31 (m, 1 H), 1.22 (s, 3 H), 1.17-1.00 (m, 9 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 109.5, 66.6, 60.1, 58.5, 40.0, 39.6, 33.8, 33.3, 31.2, 23.9, 20.4, 20.0, 17.2; HRMS calcd for C₁₃H₂₆NO₂ [M+H]⁺ 228.1958; found: 228.1951.

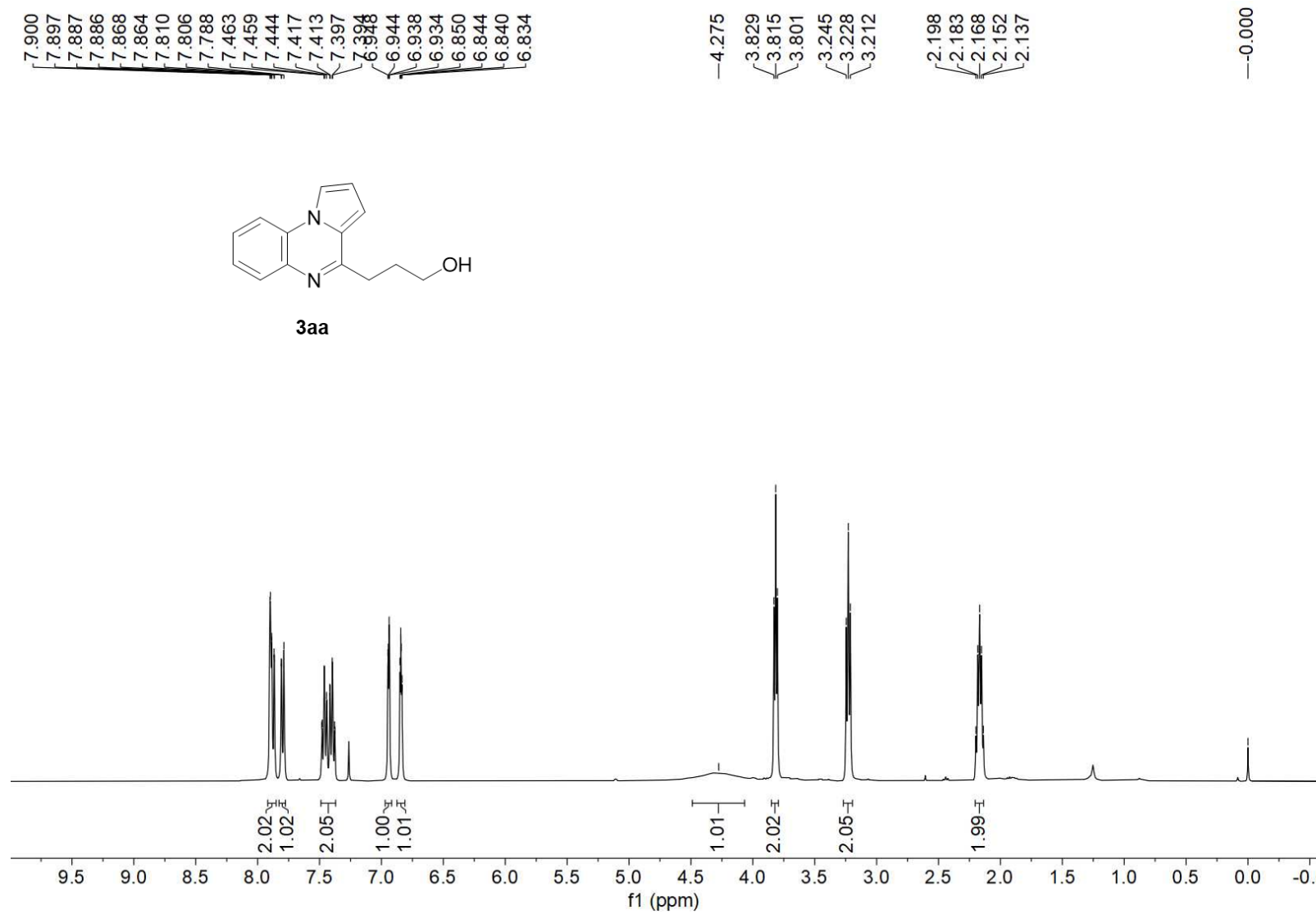


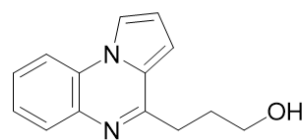
2-(2-(tetrahydrofuran-2-yl)-1H-pyrrol-1-yl)aniline (6)

White solid (13.3 mg, 29% yield), melting point: 88-90 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.28-7.25 (m, 1 H), 7.14-7.13 (m, 1 H), 6.96-6.91 (m, 1 H), 6.82-6.76 (m, 1 H), 6.73-6.70 (m, 1 H), 6.30-6.28 (m, 1 H), 5.99-5.97 (m, 1 H), 4.51-4.47 (m, 1 H), 3.68-3.64 (m, 2 H), 1.95-1.82 (m, 2 H), 1.75-1.66 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 135.7, 129.3, 125.4, 124.6, 119.0, 115.4, 114.6, 114.1, 109.9, 104.0, 62.7, 50.8, 31.9, 28.5; HRMS calcd for C₁₄H₁₇N₂O [M+H]⁺ 229.1336; found: 229.1333.

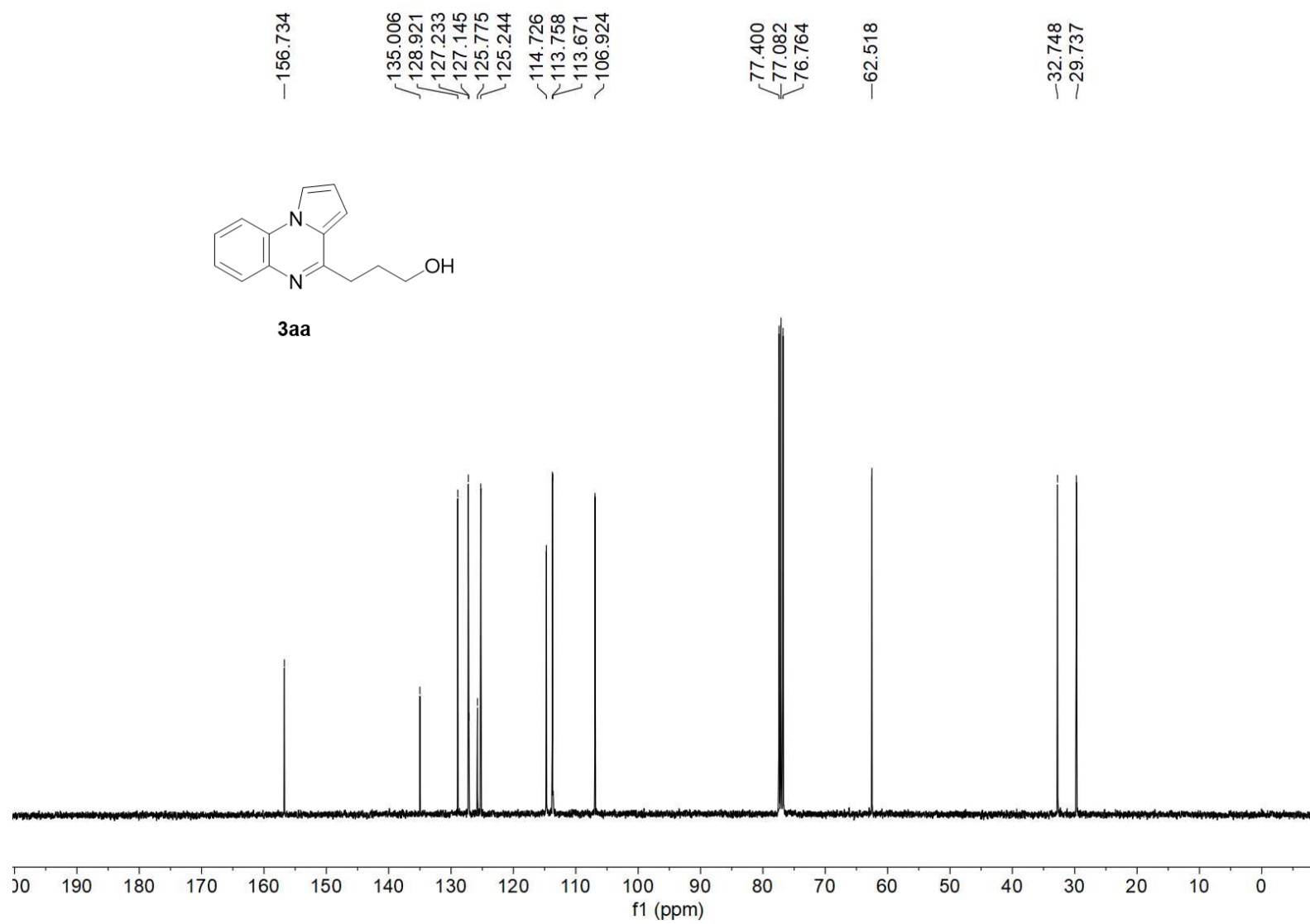
References

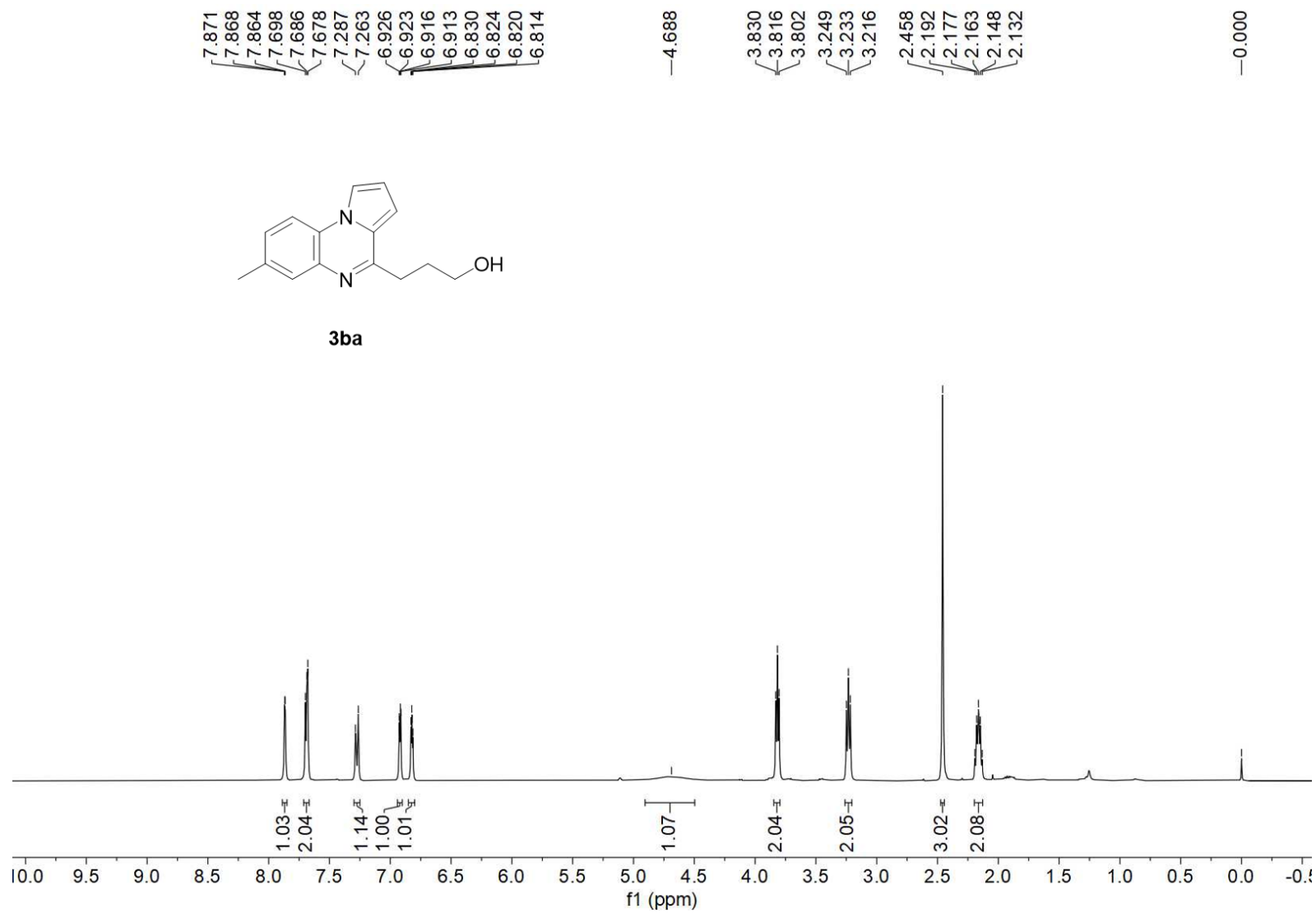
- [1] C.-X. Xie, L. Feng, W.-L. Li, X.-J. Ma, X.-K. Ma, Y.-H. Liu and C. Ma. *Org. Biomol. Chem.*, 2016, **14**, 8529.
- [2] Z.-Y. Zhang, C.-X. Xie, X.-C. Tan, G.-L. Song, L.-L. Wen, H. Gao, and C. Ma, *Org. Chem. Front.*, 2015, **2**, 942.
- [3] Z. Y. An, L. B. Zhao, M. Z. Wu, J. X. Ni, Z. J. Qi, G. Q. Yu and R. L. Yan, *Chem. Commun.*, 2017, **53**, 11572.
- [4] (a) D. Liu, C. Liu, H. Li, and A. Lei, *Chem. Commun.*, 2014, **50**, 3623; (b) S. Pan, J. Liu, H. Li, Z. Wang, X. Guo and Z. Li, *Org. Lett.*, 2010, **12**, 1932.

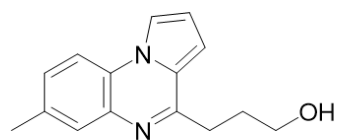




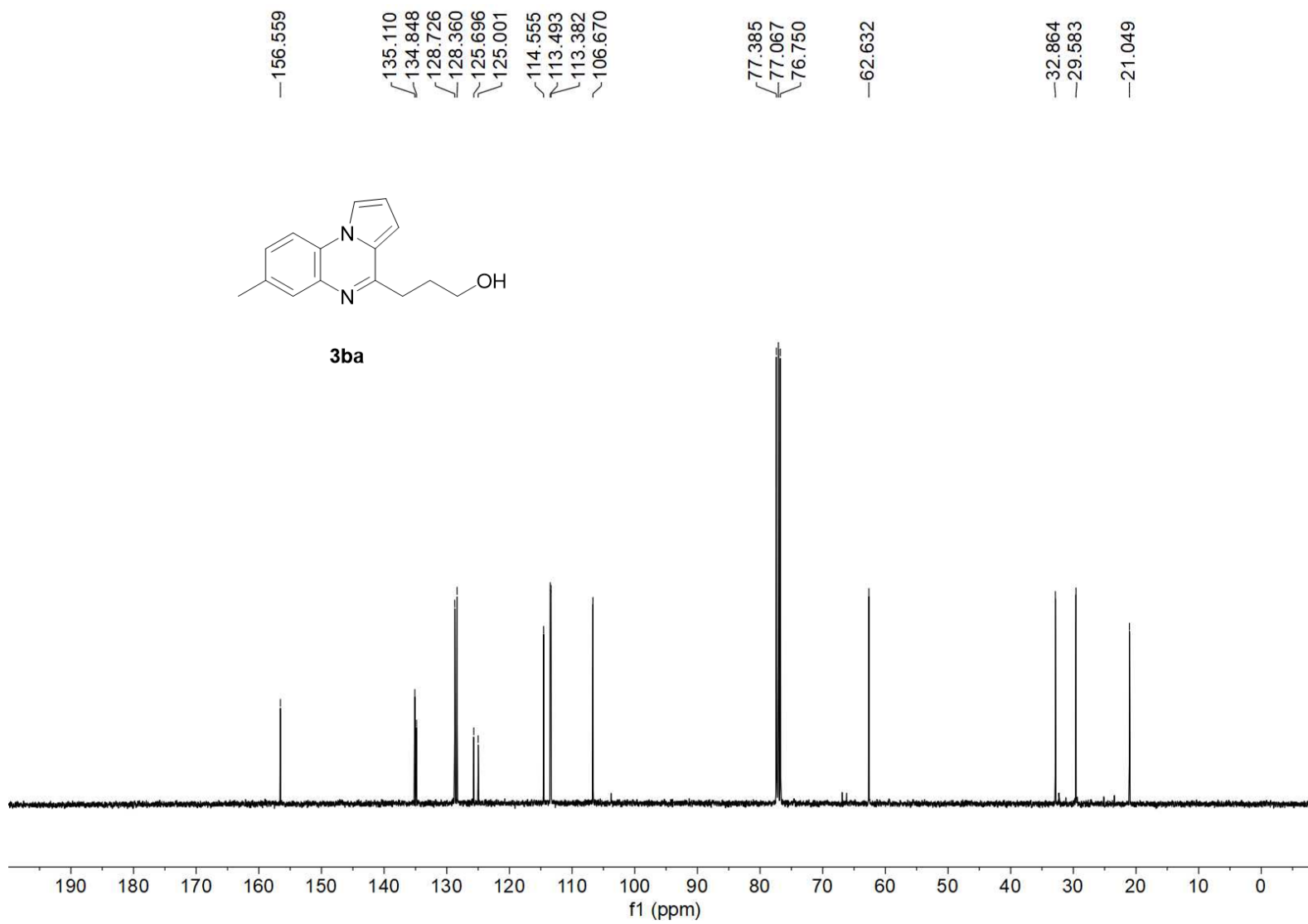
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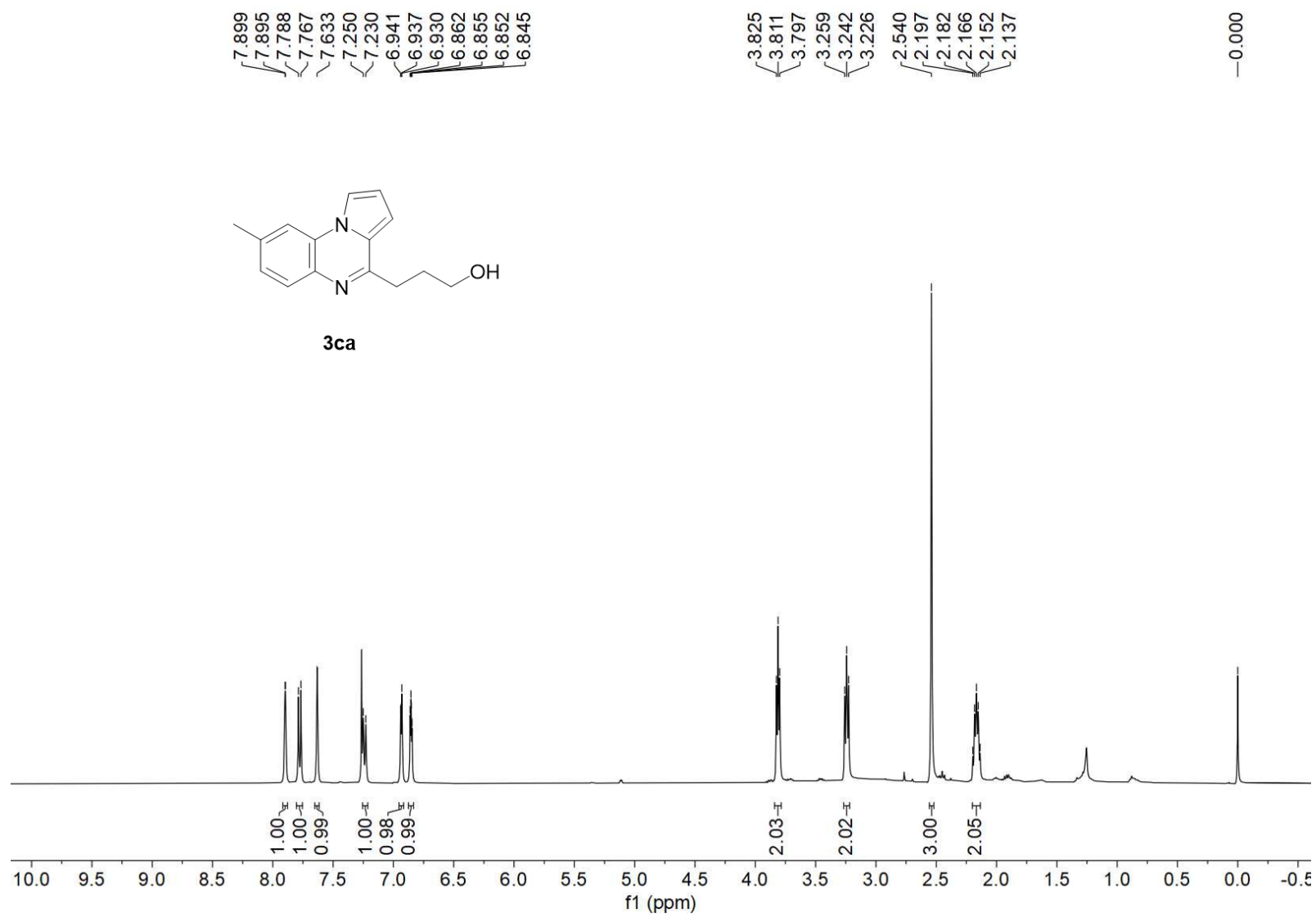


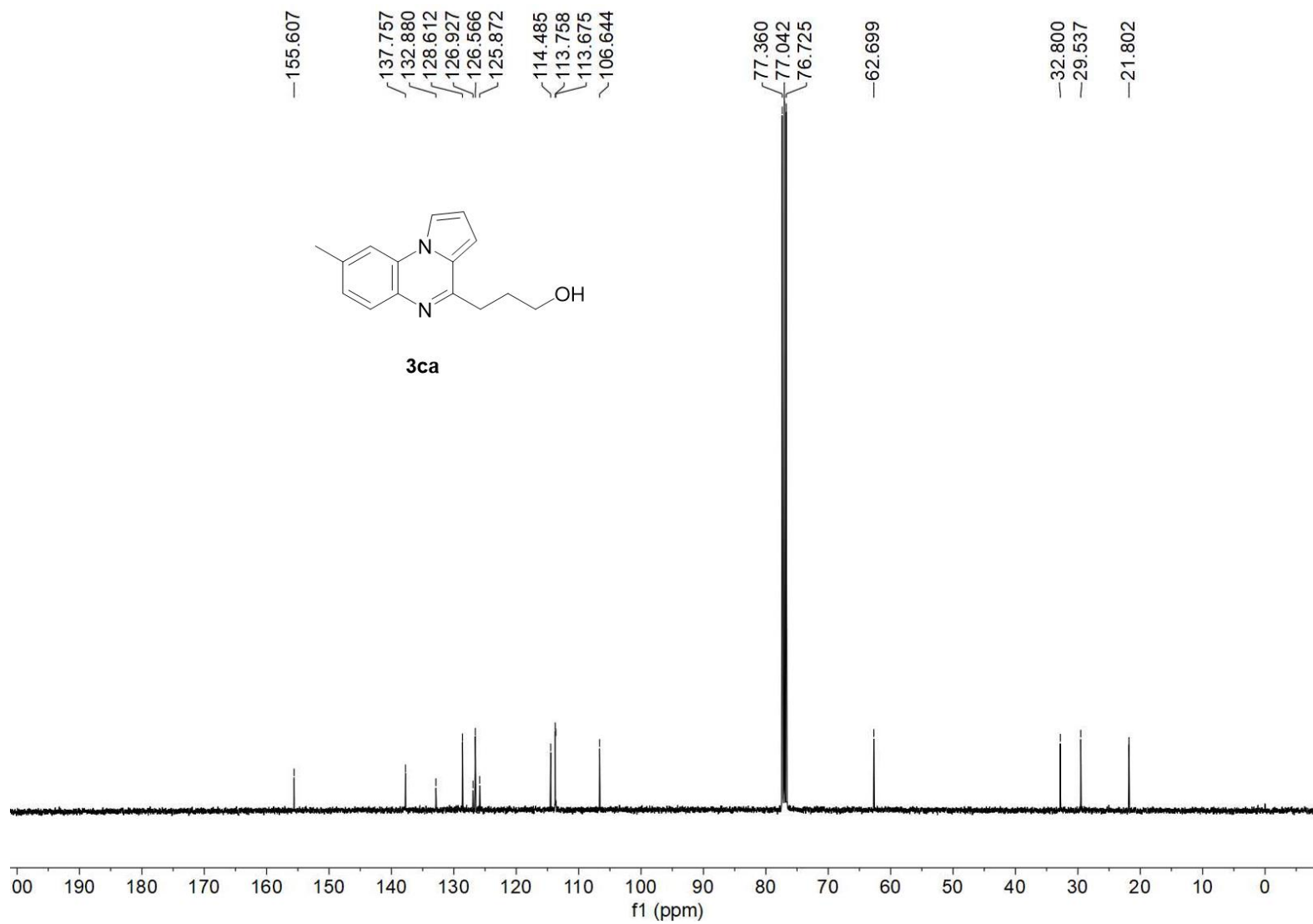


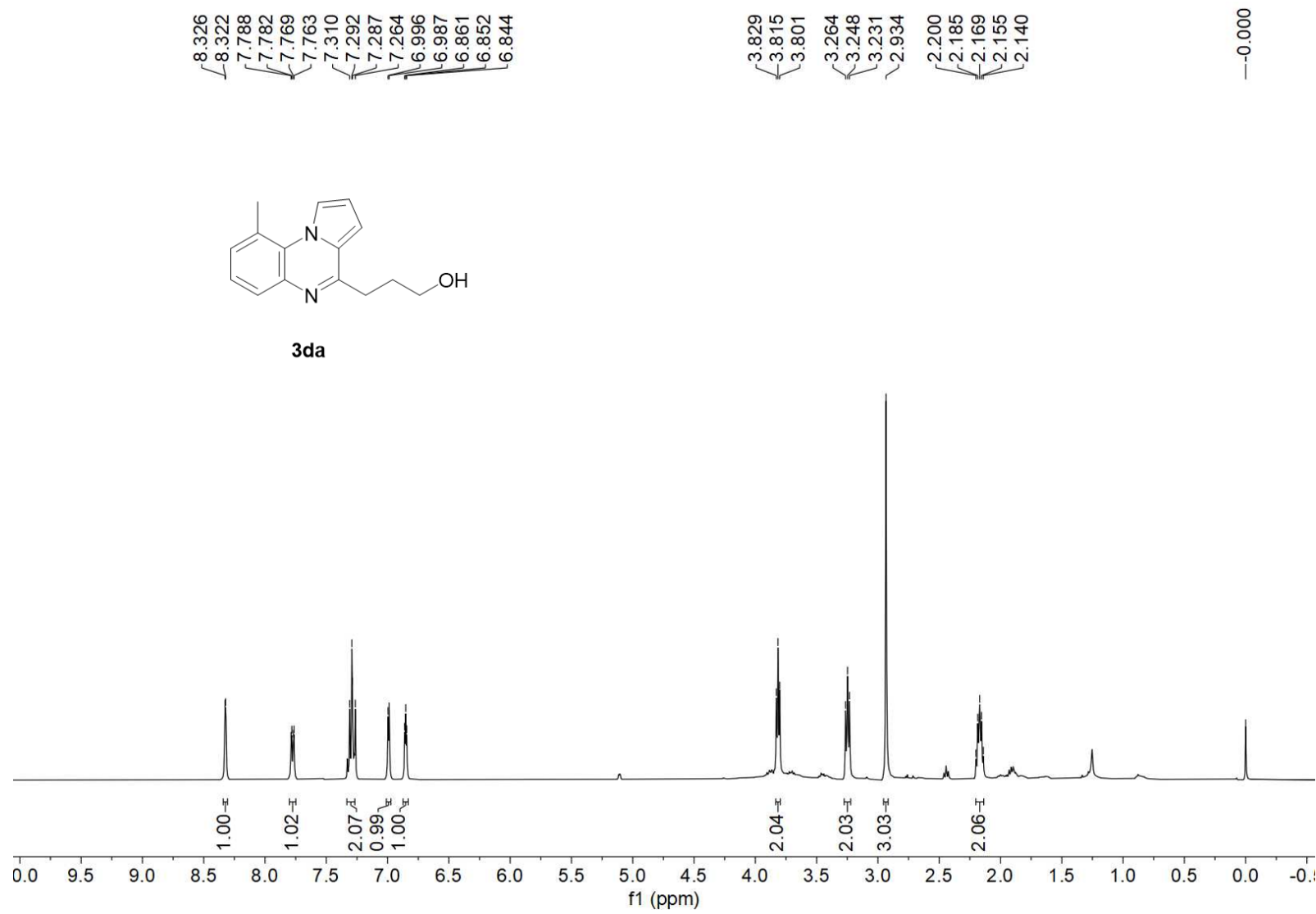


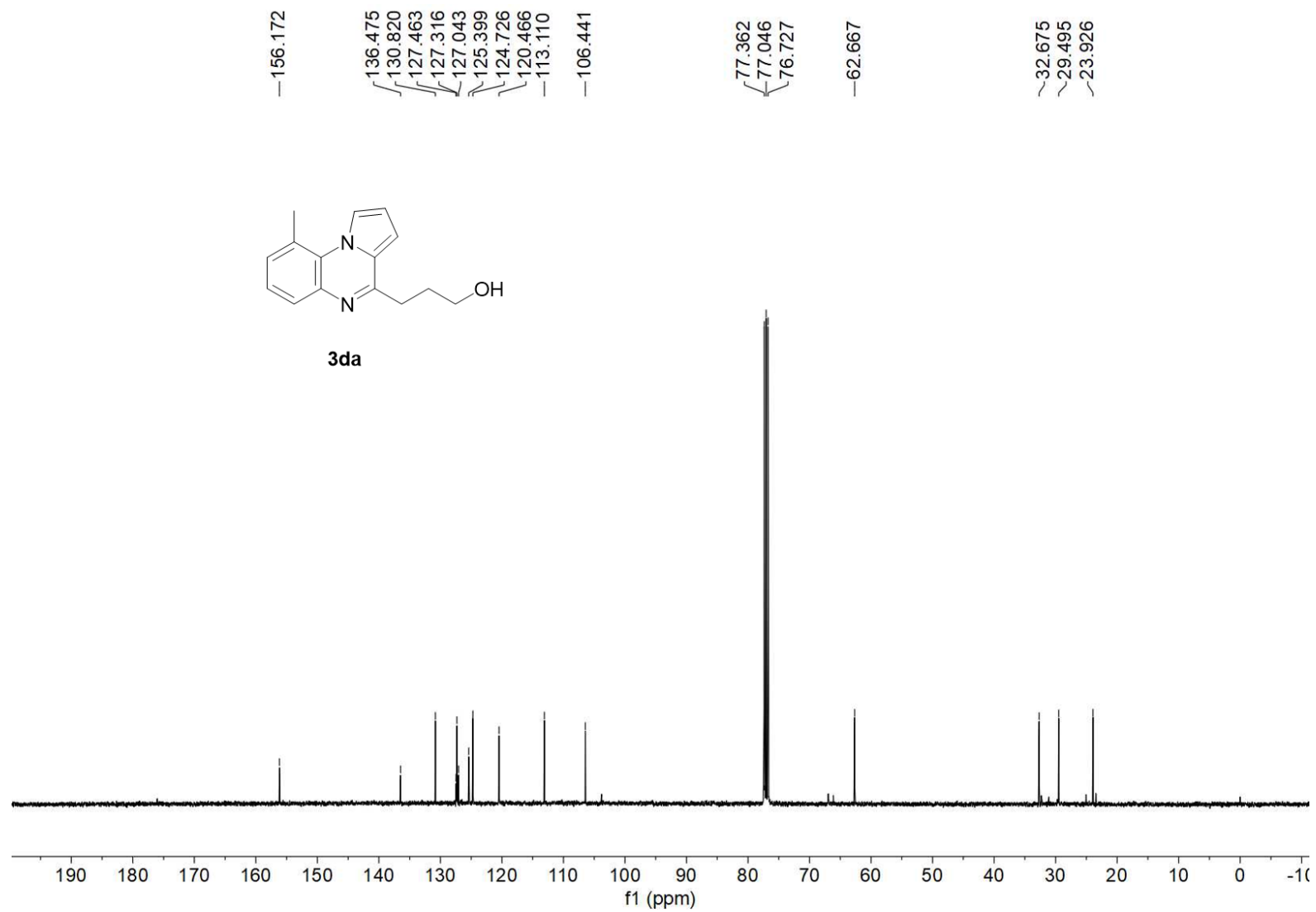
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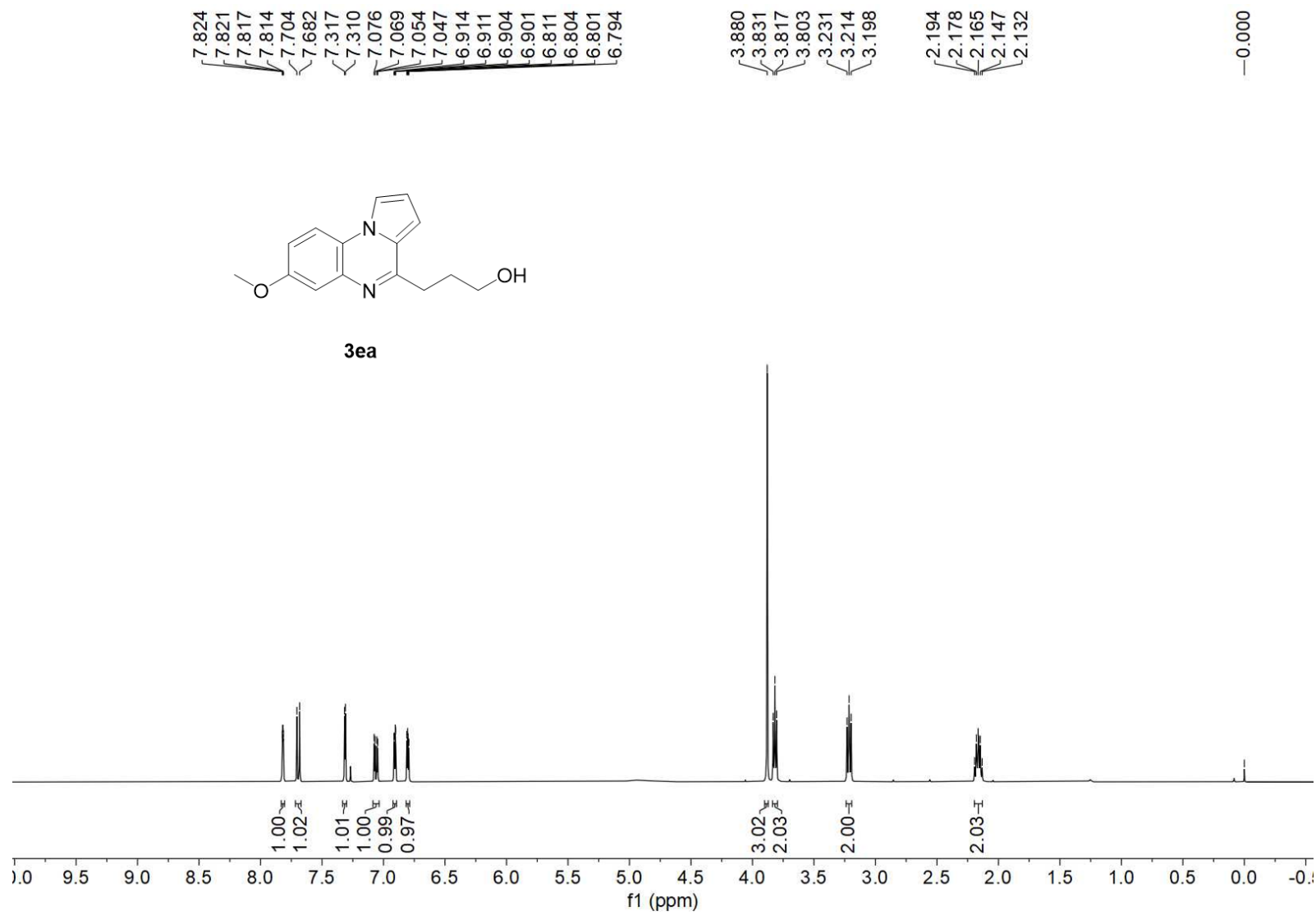


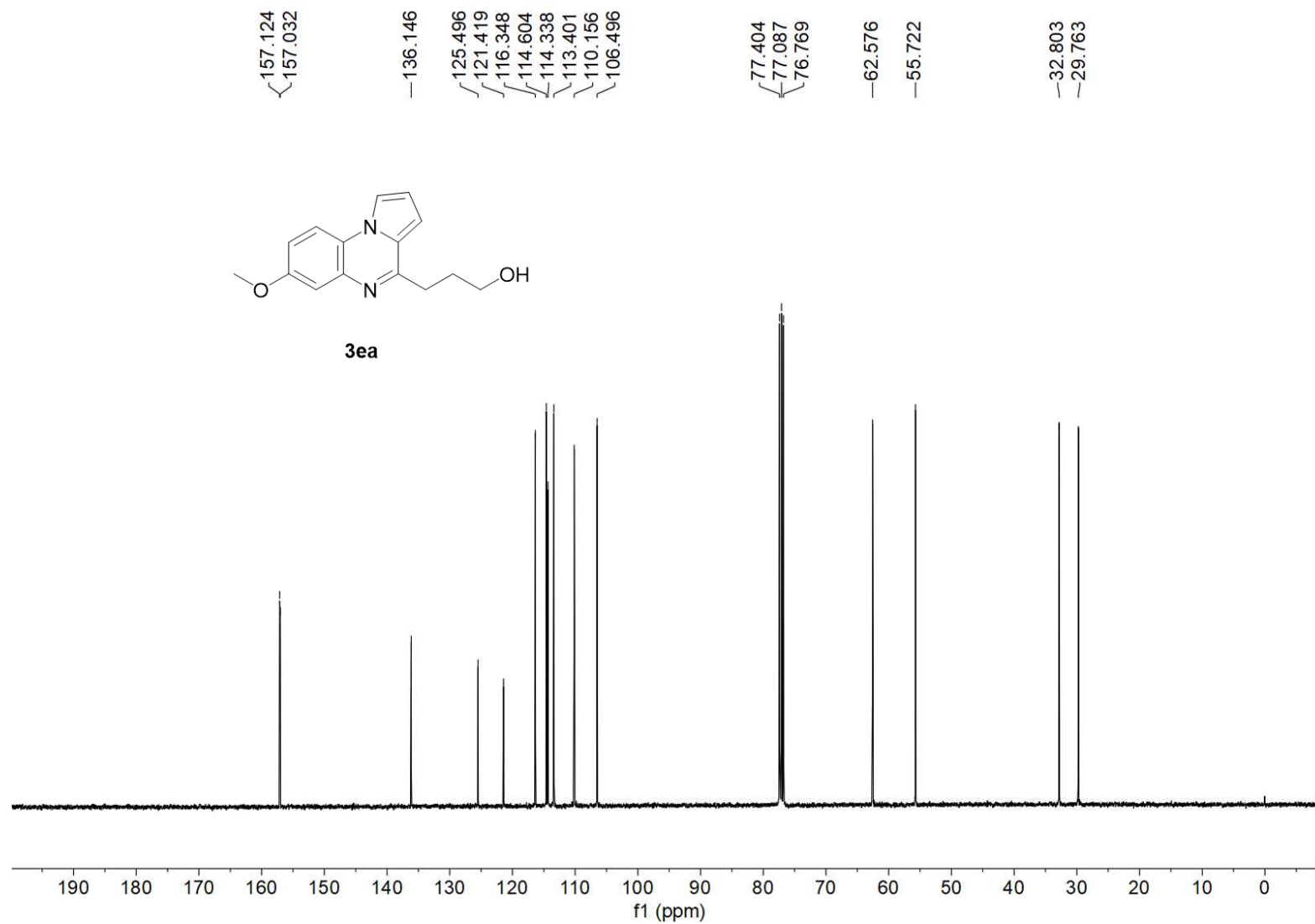


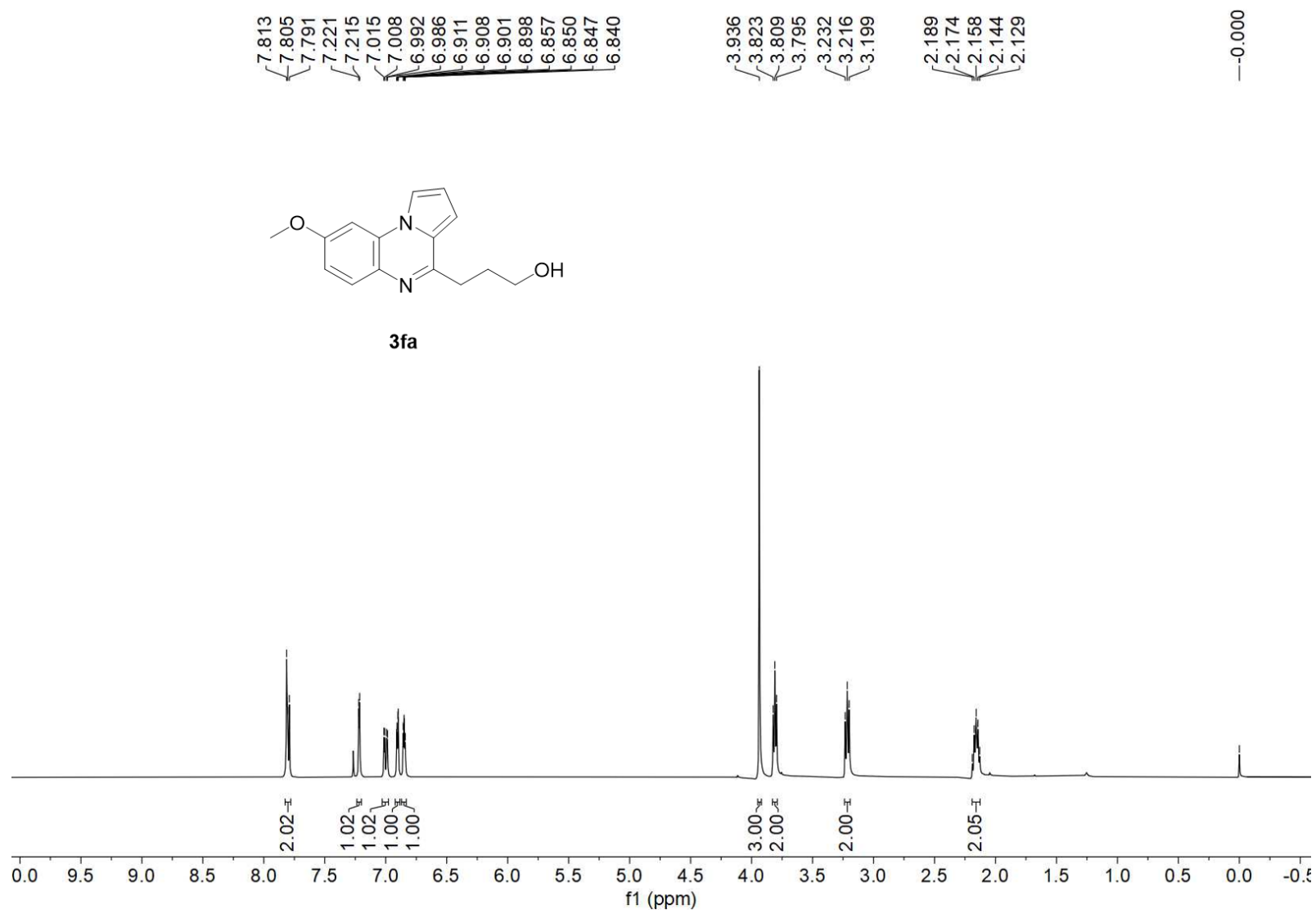


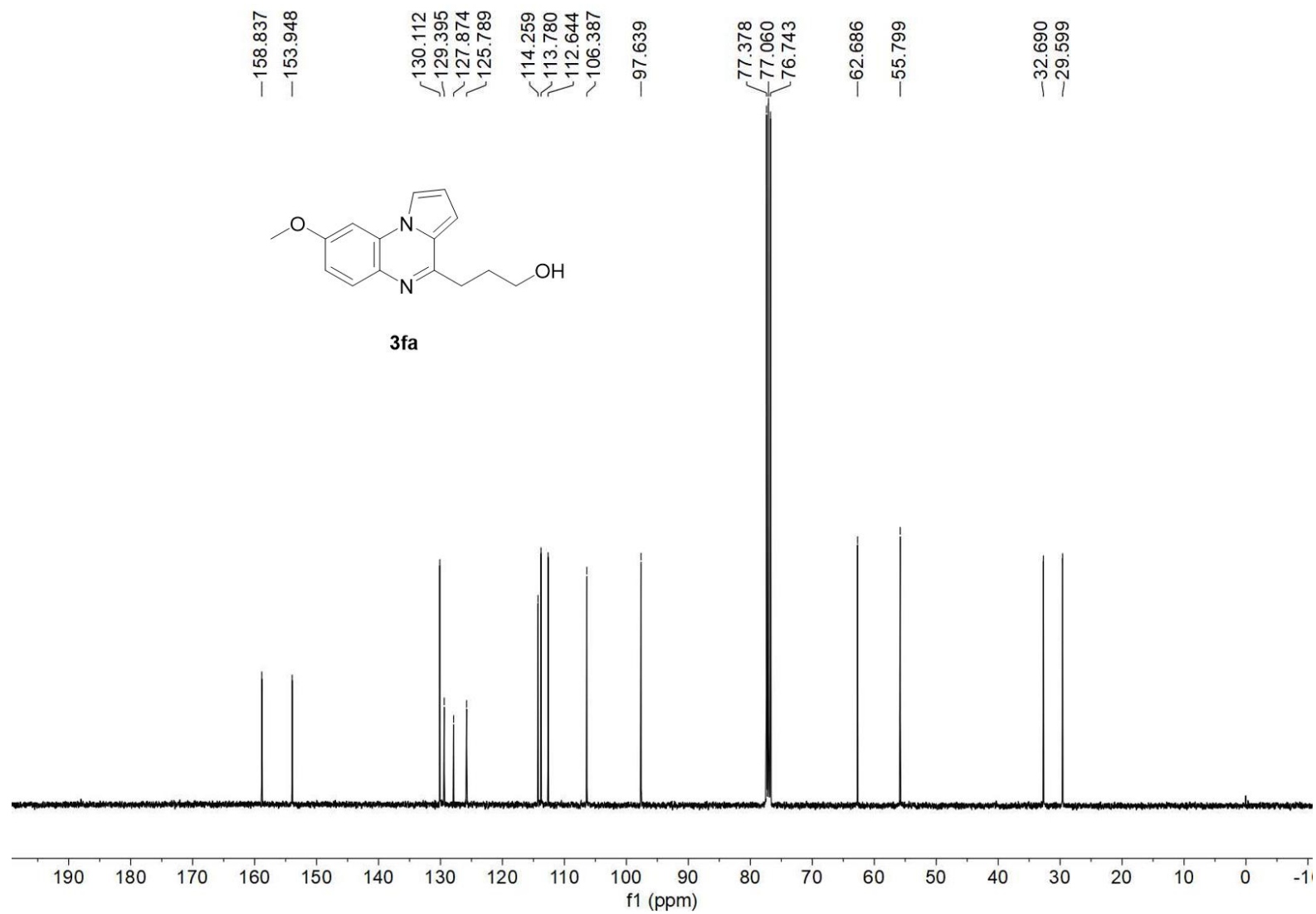


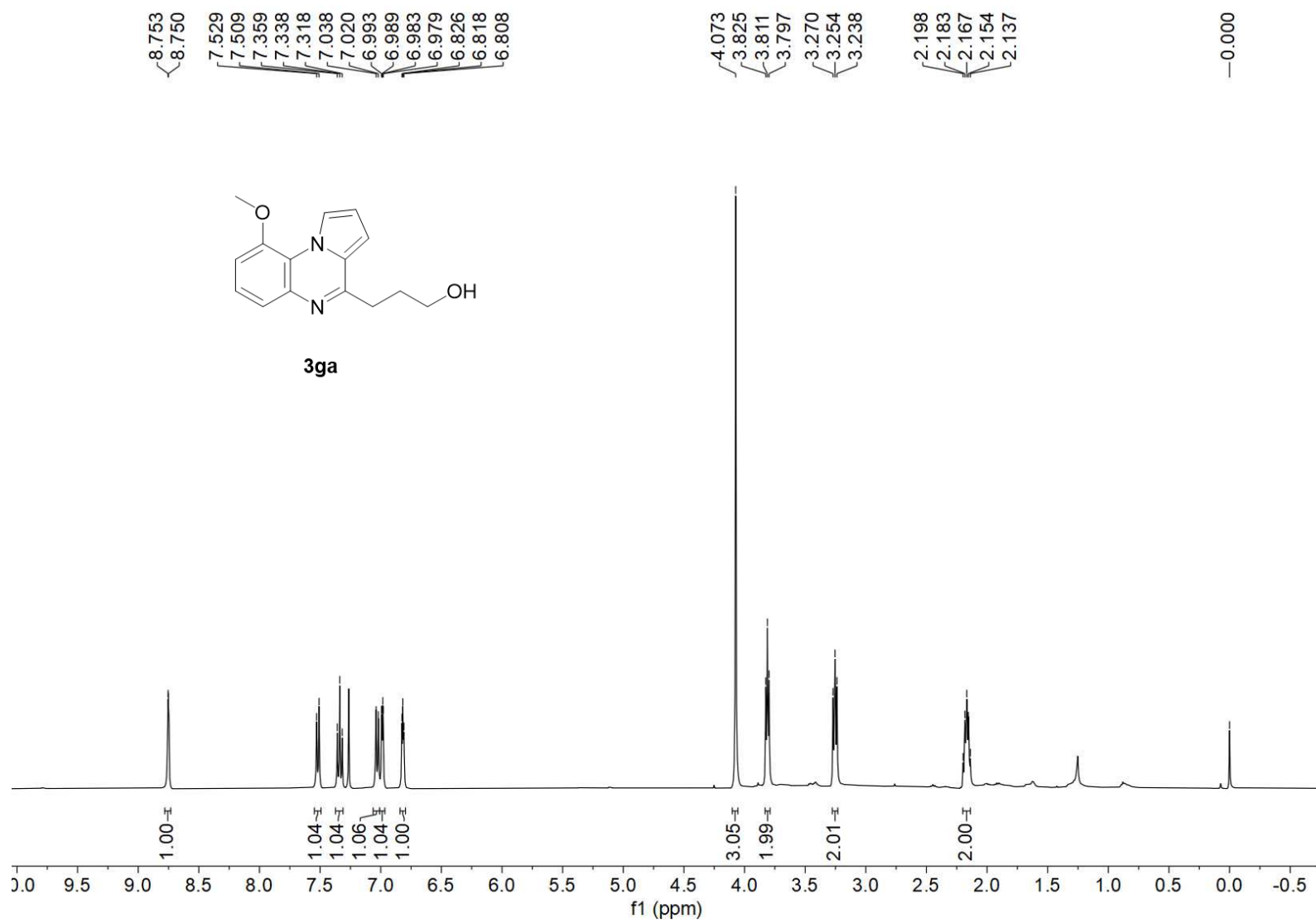


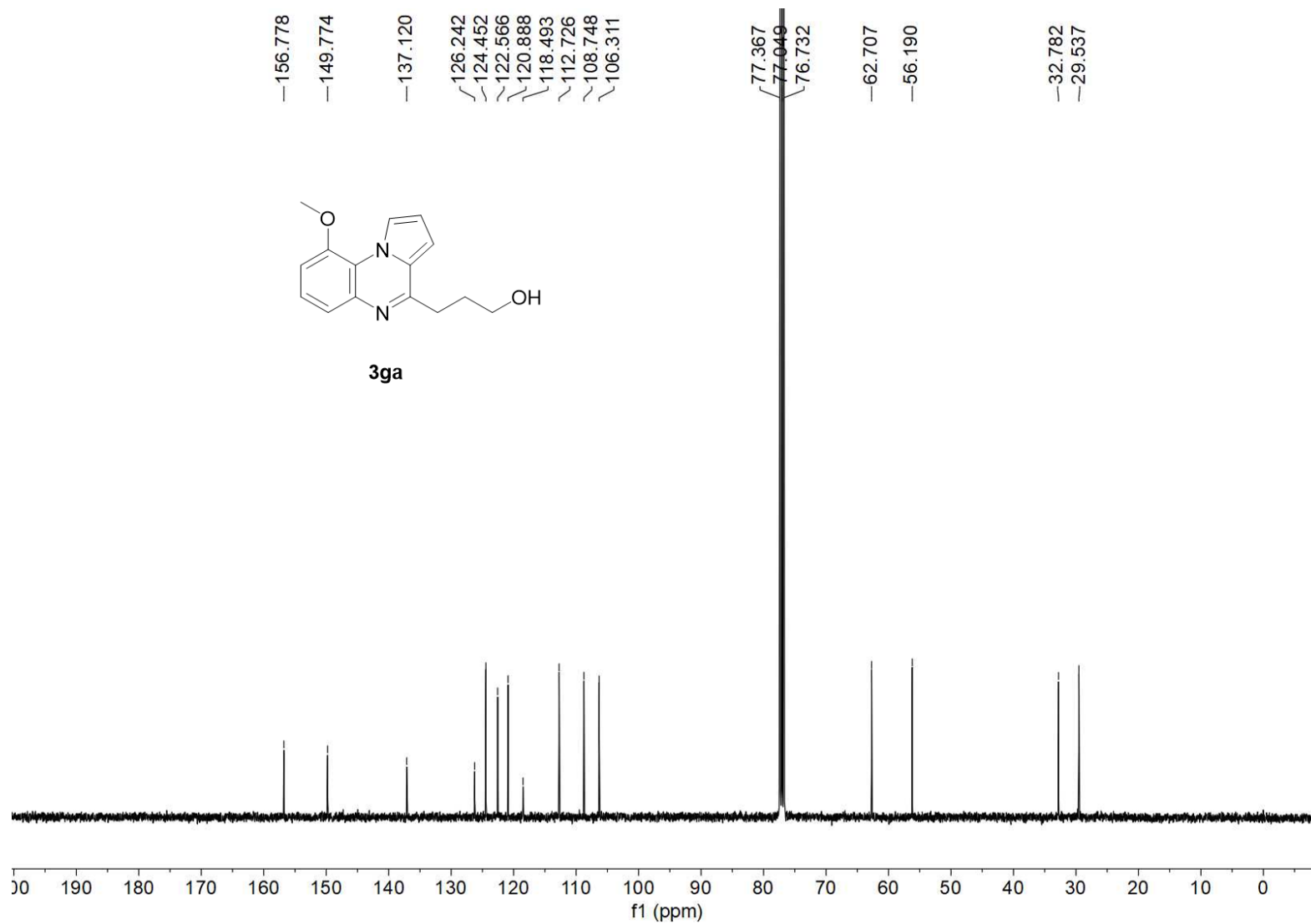


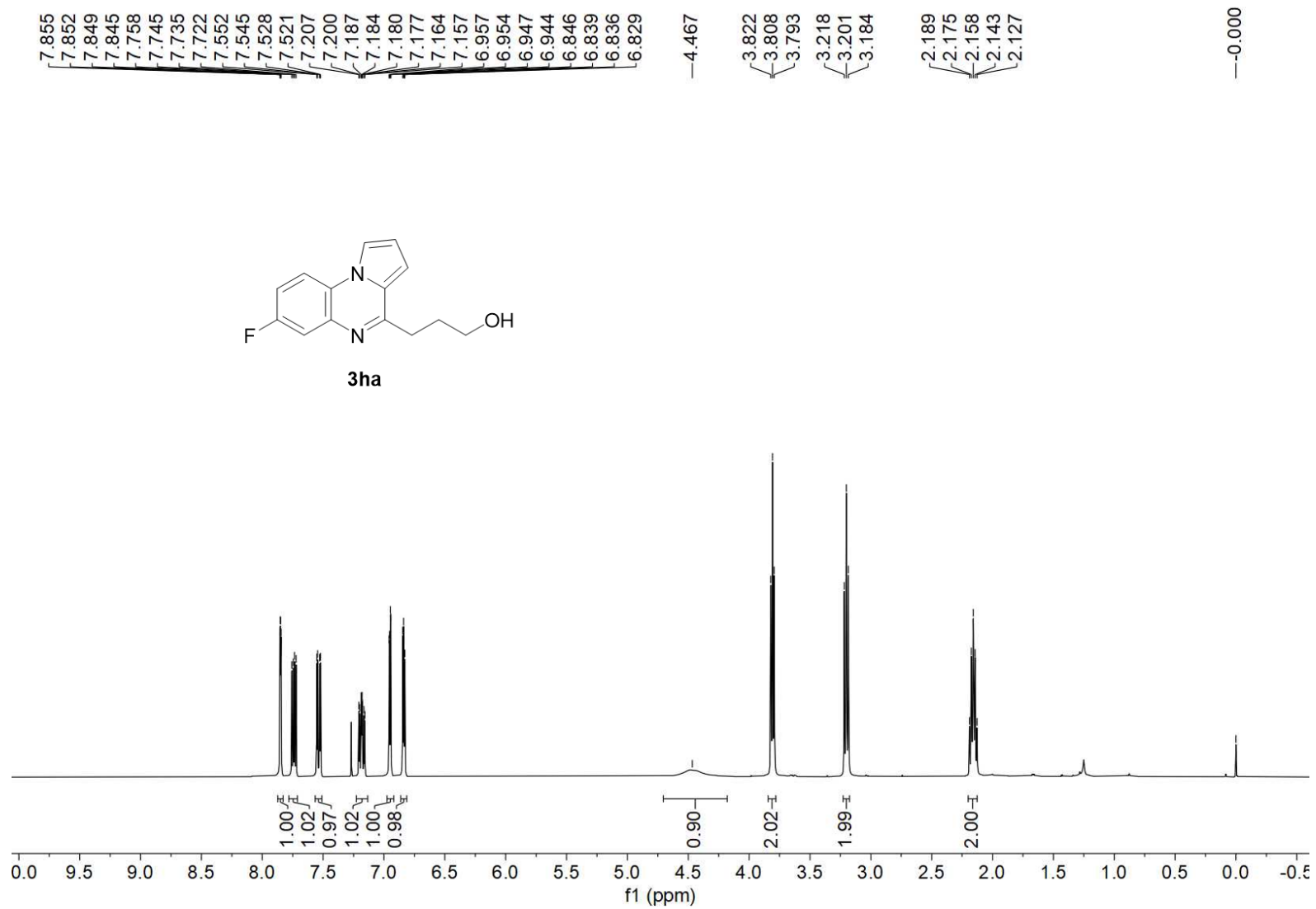


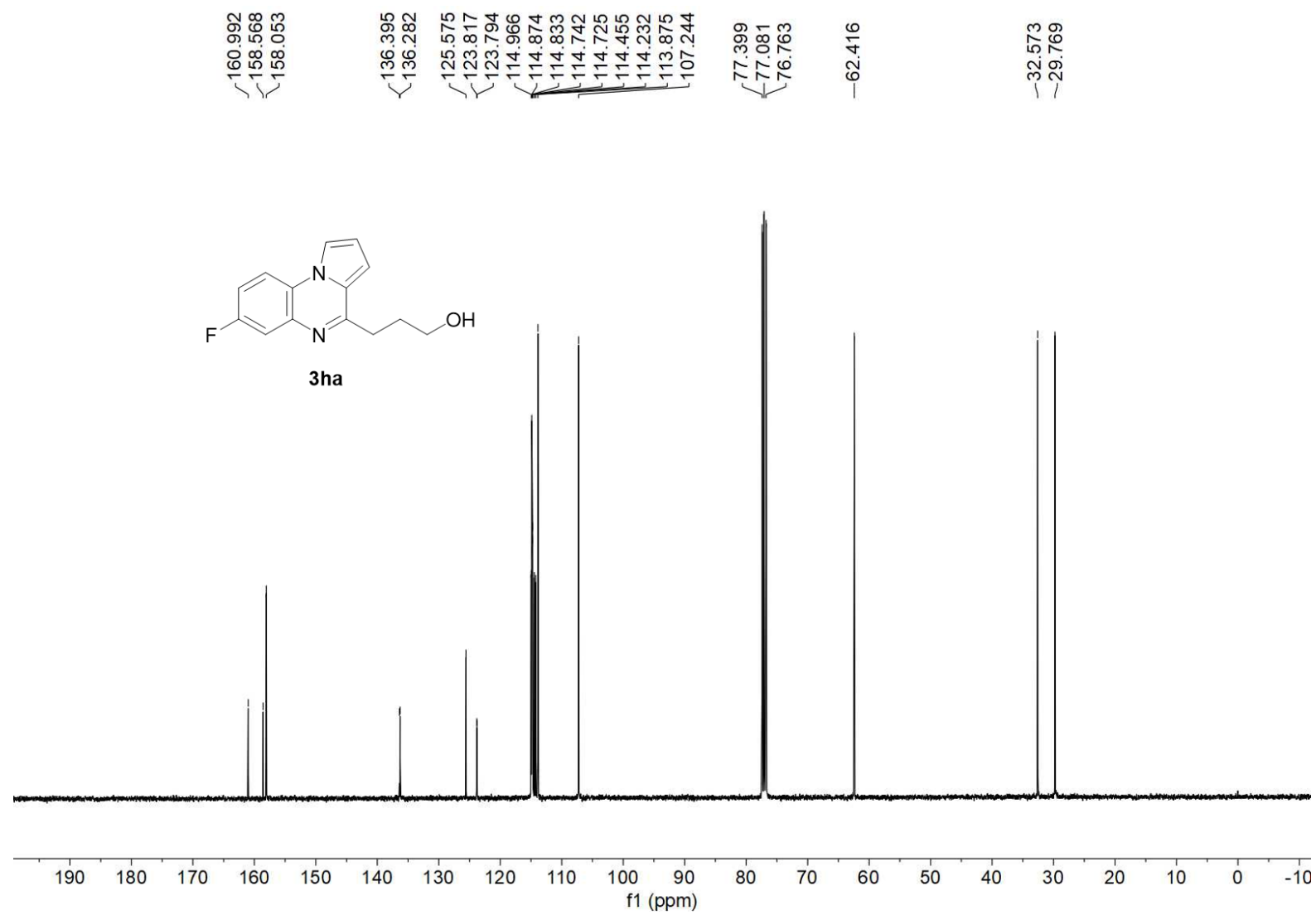


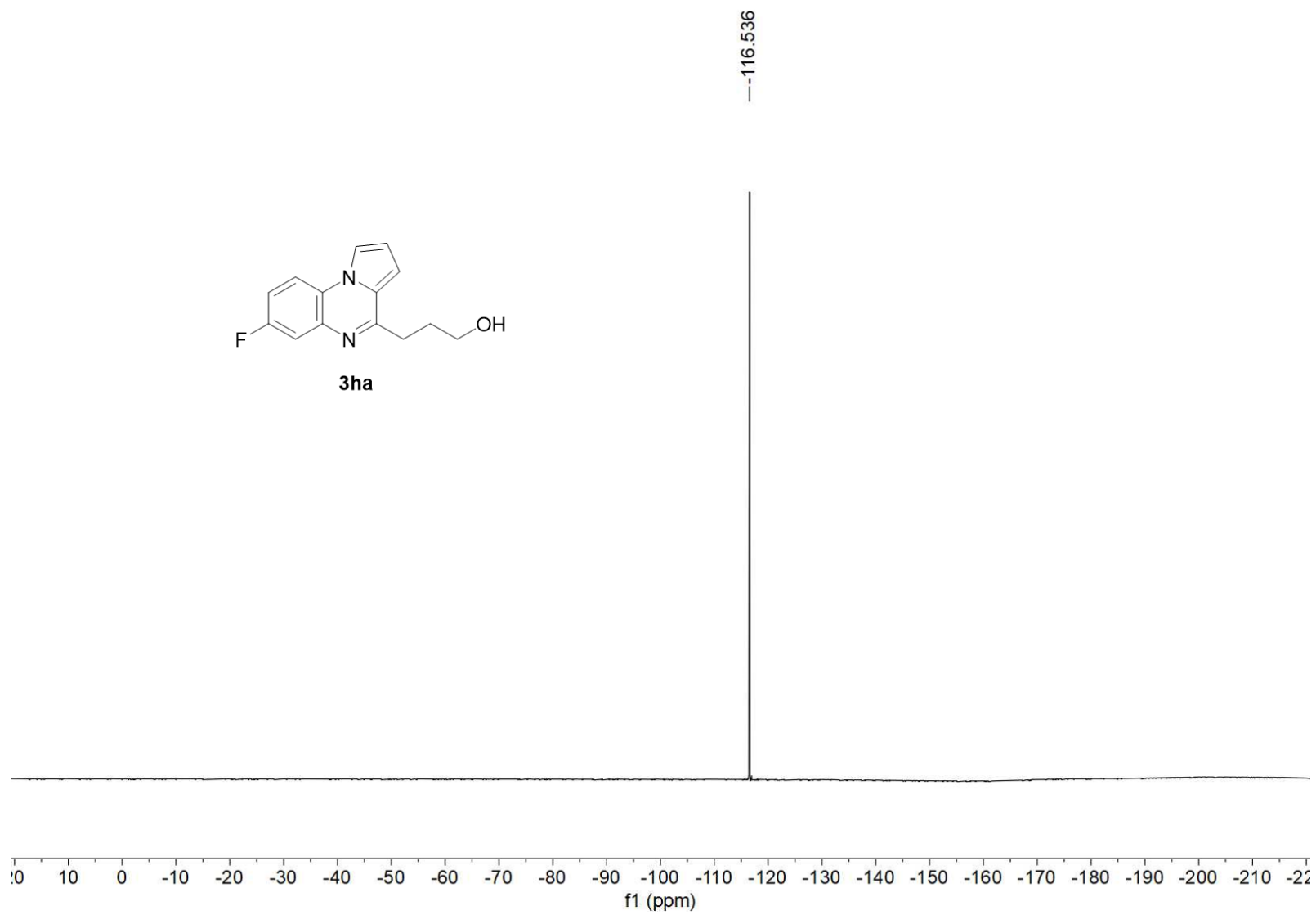
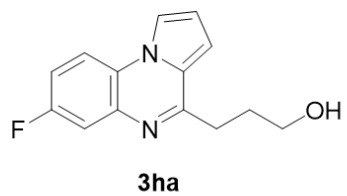


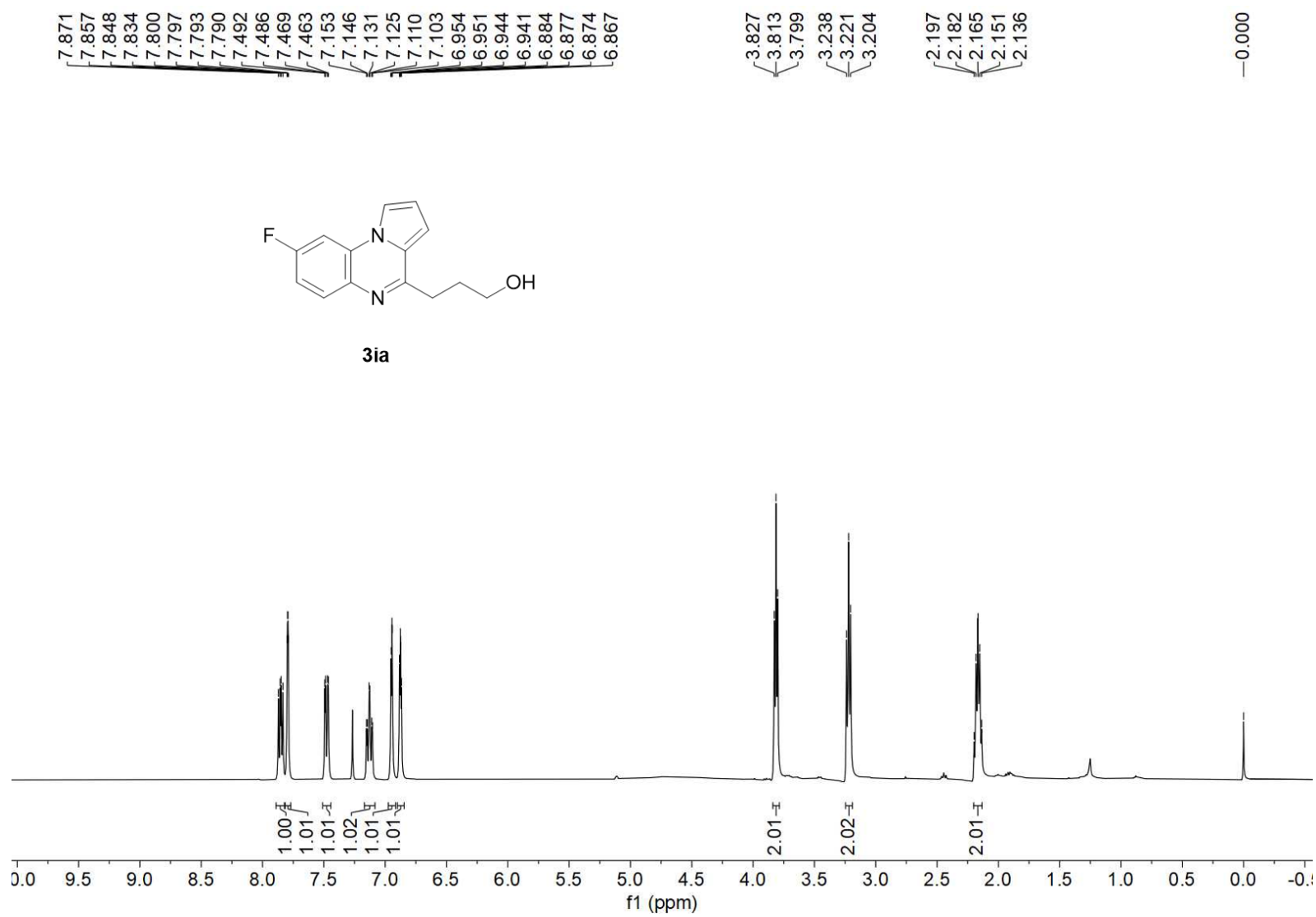


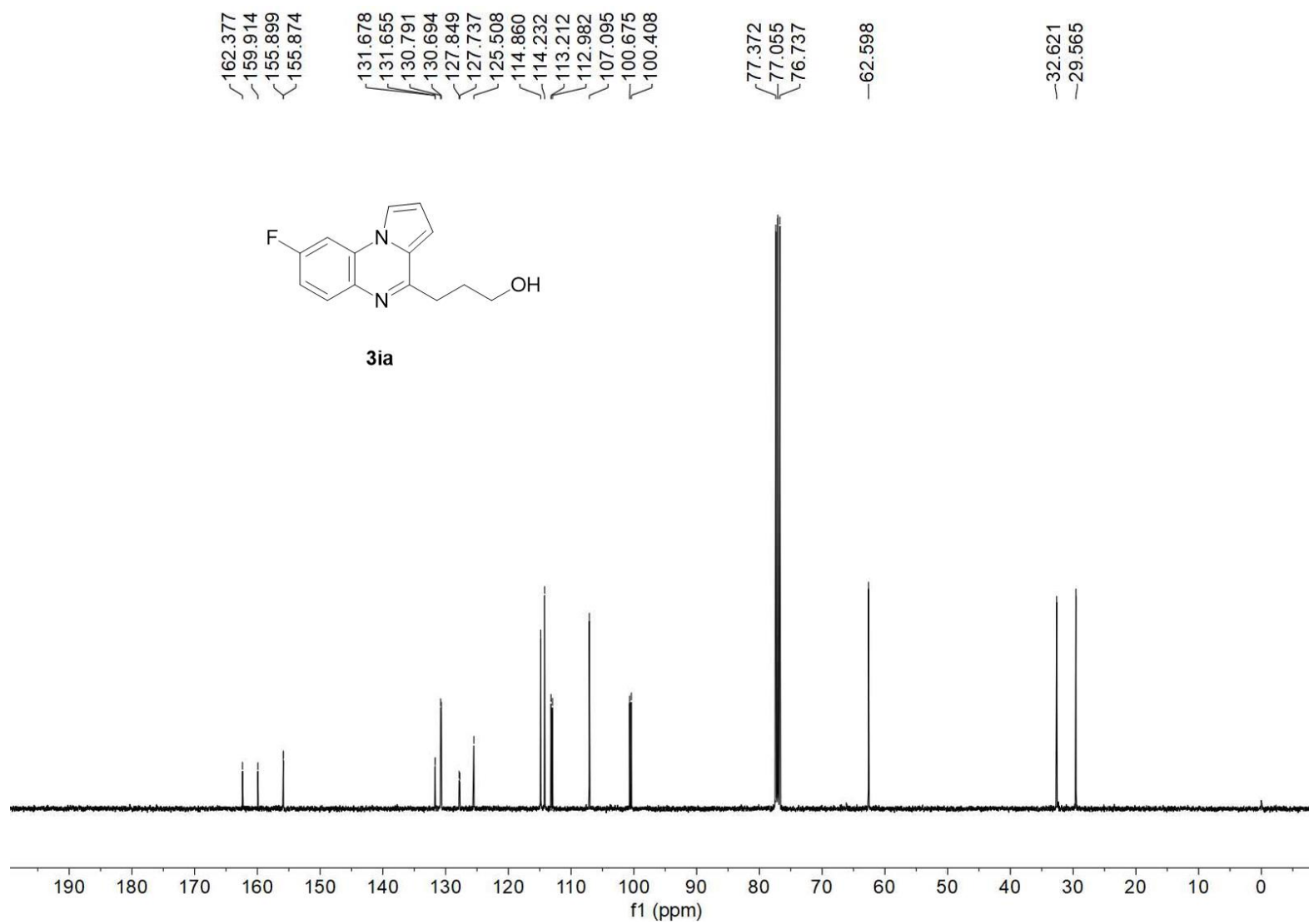


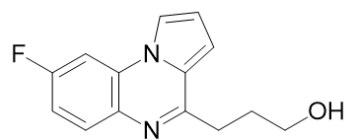




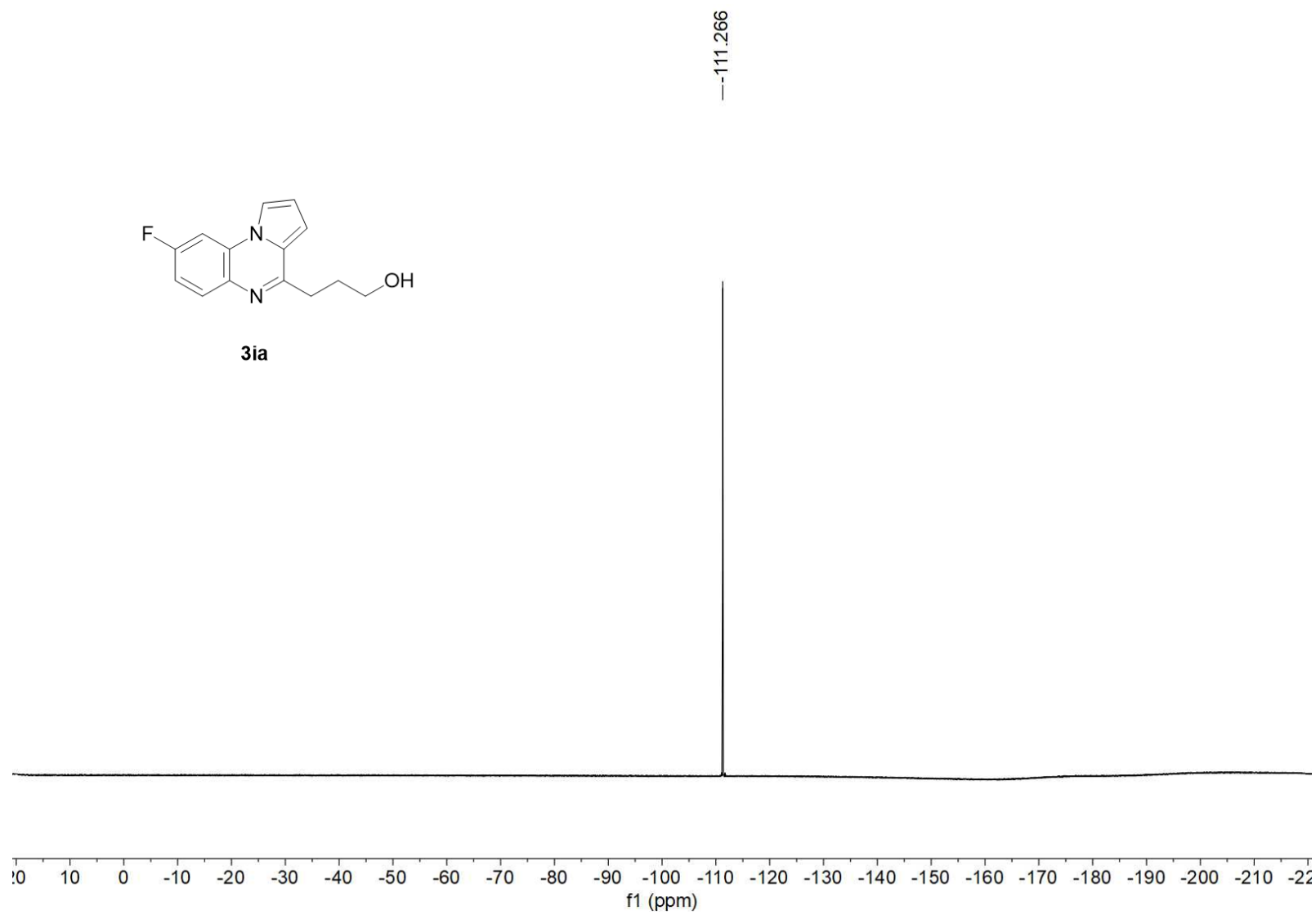


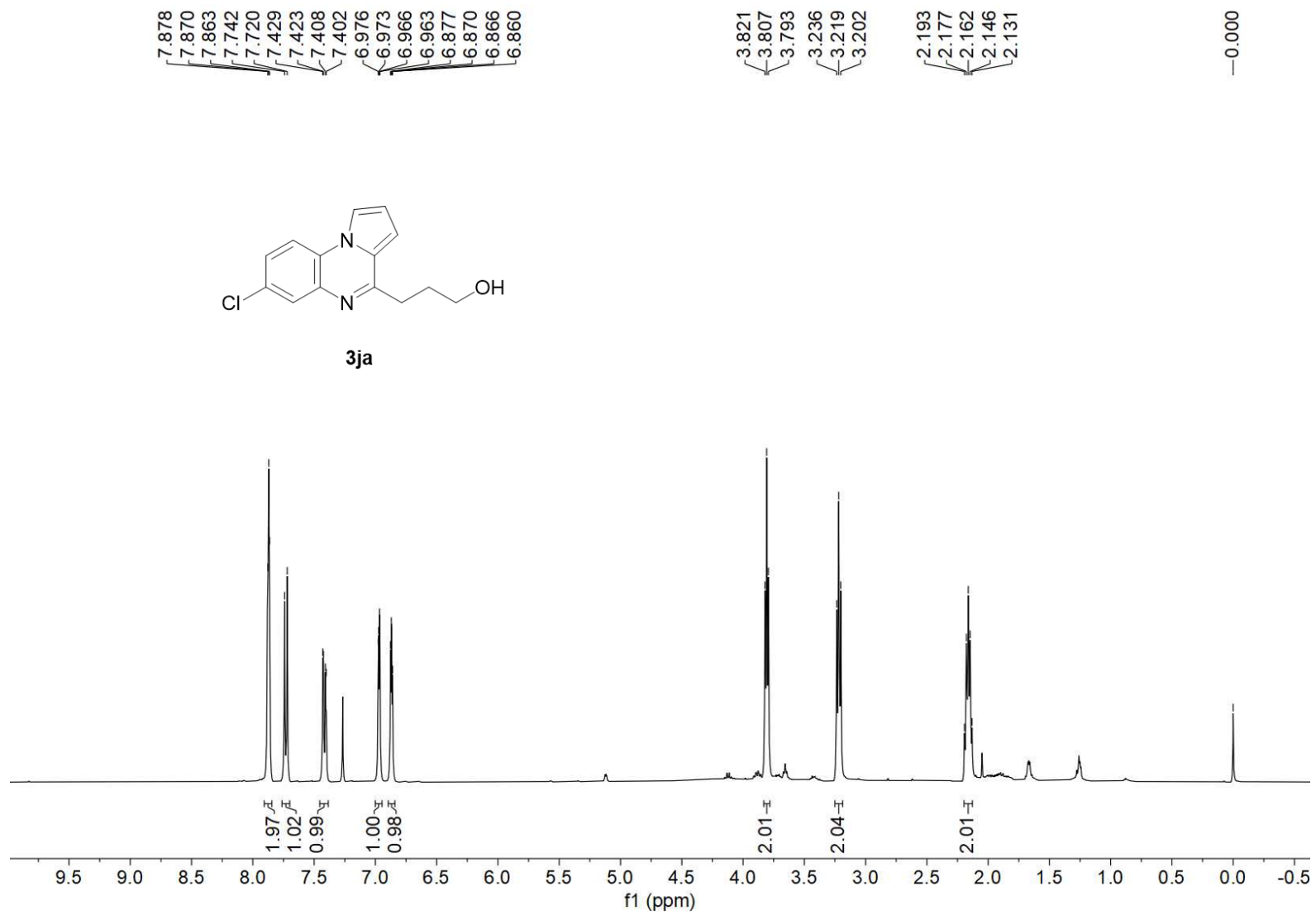


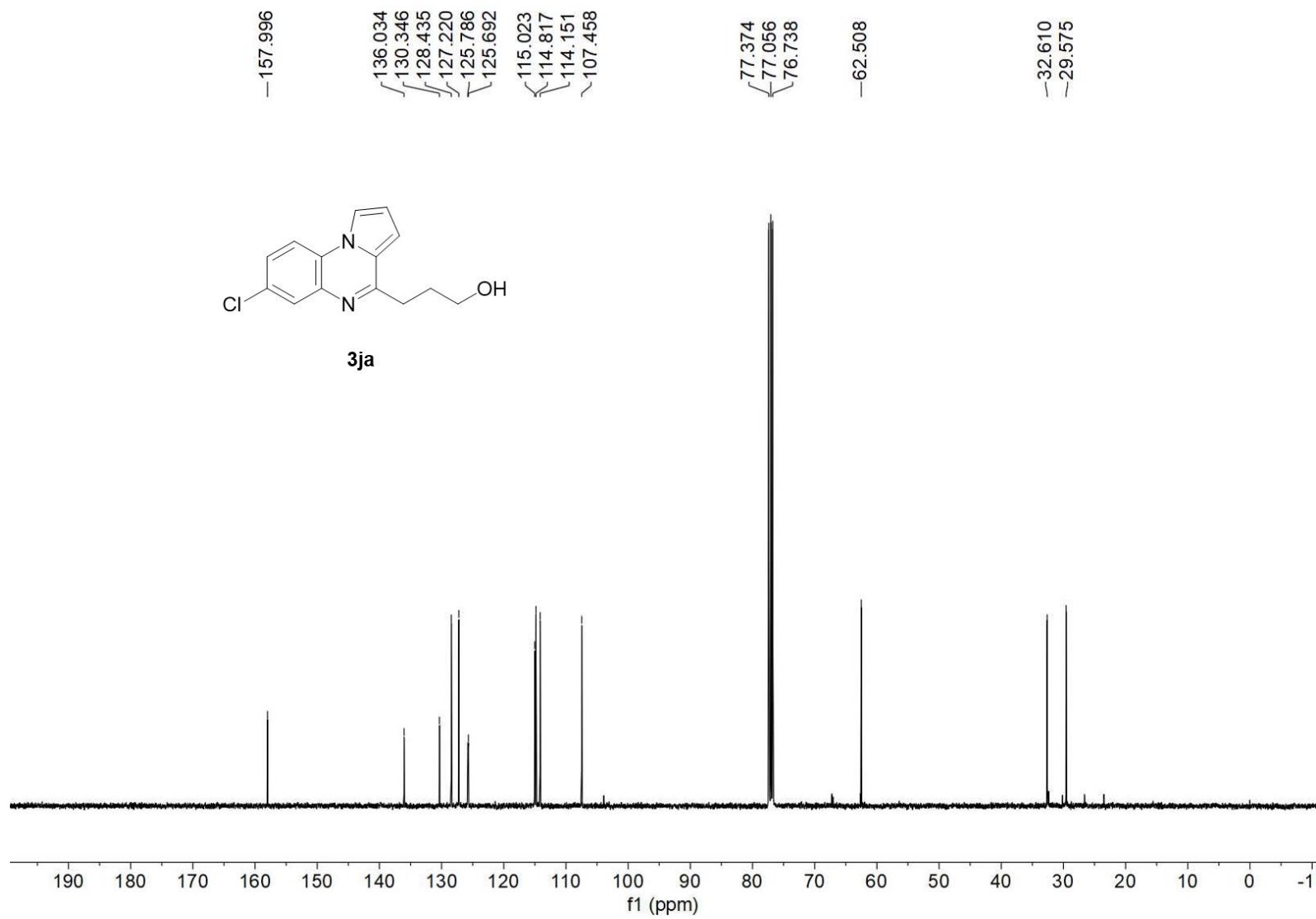


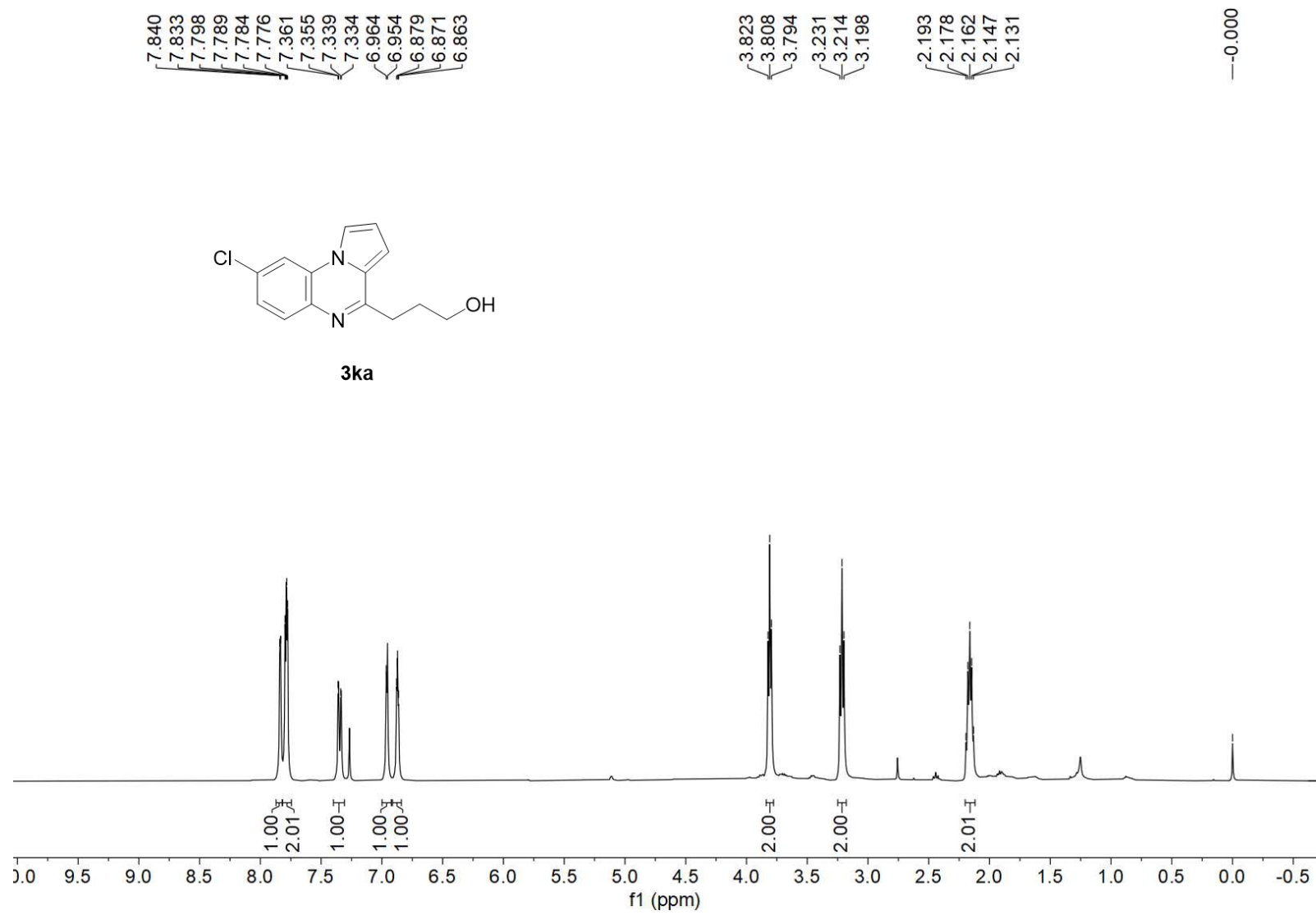


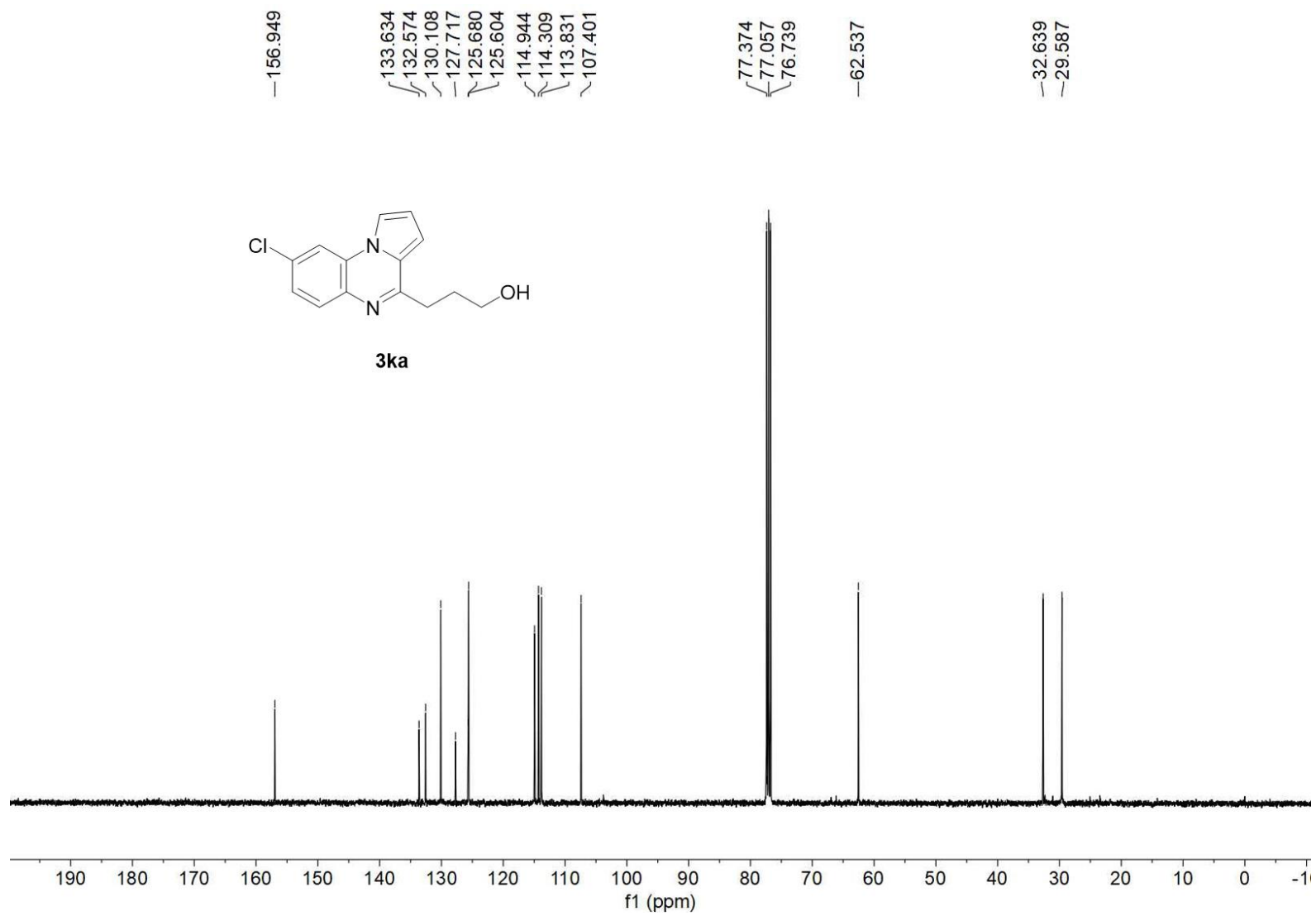
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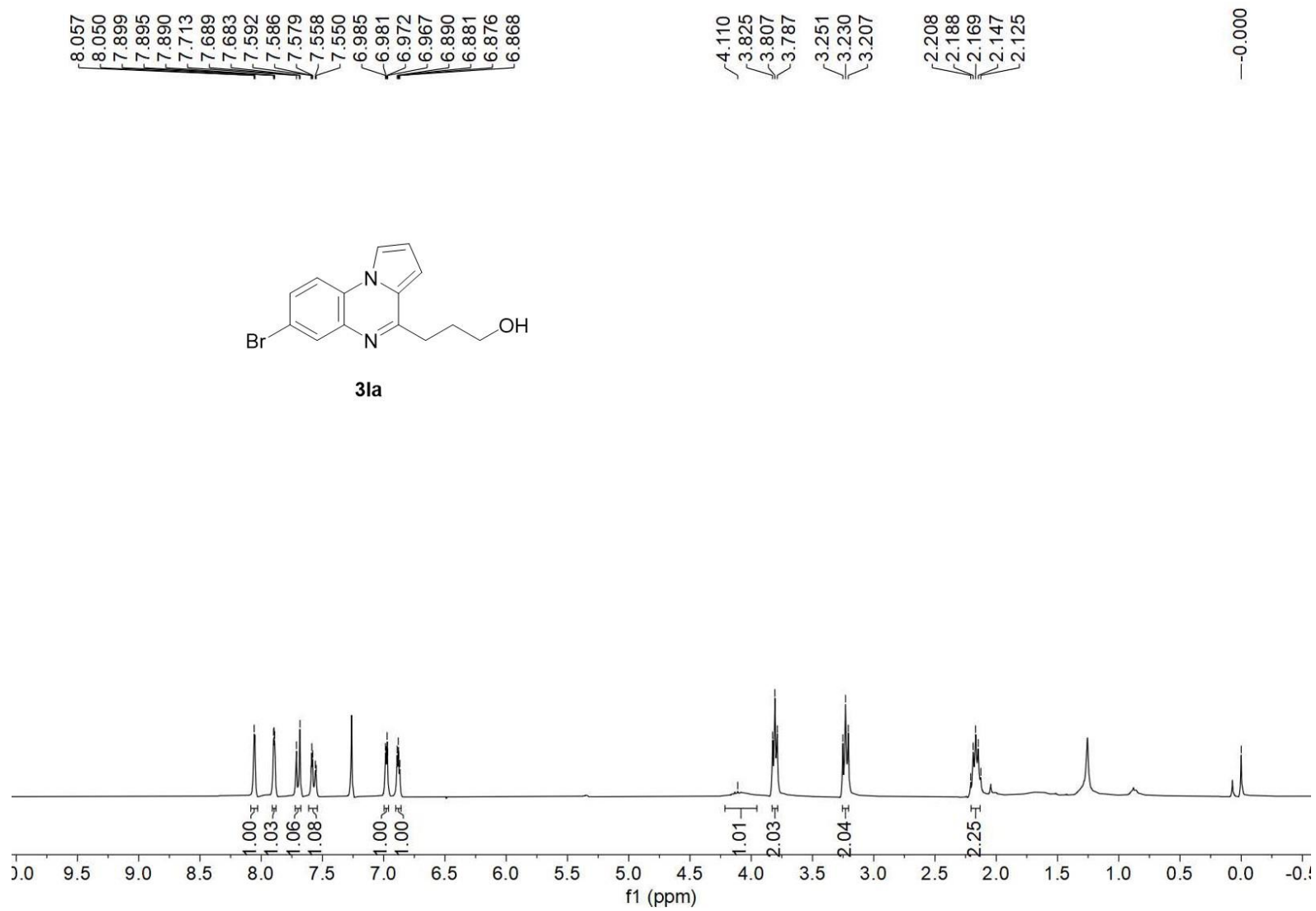


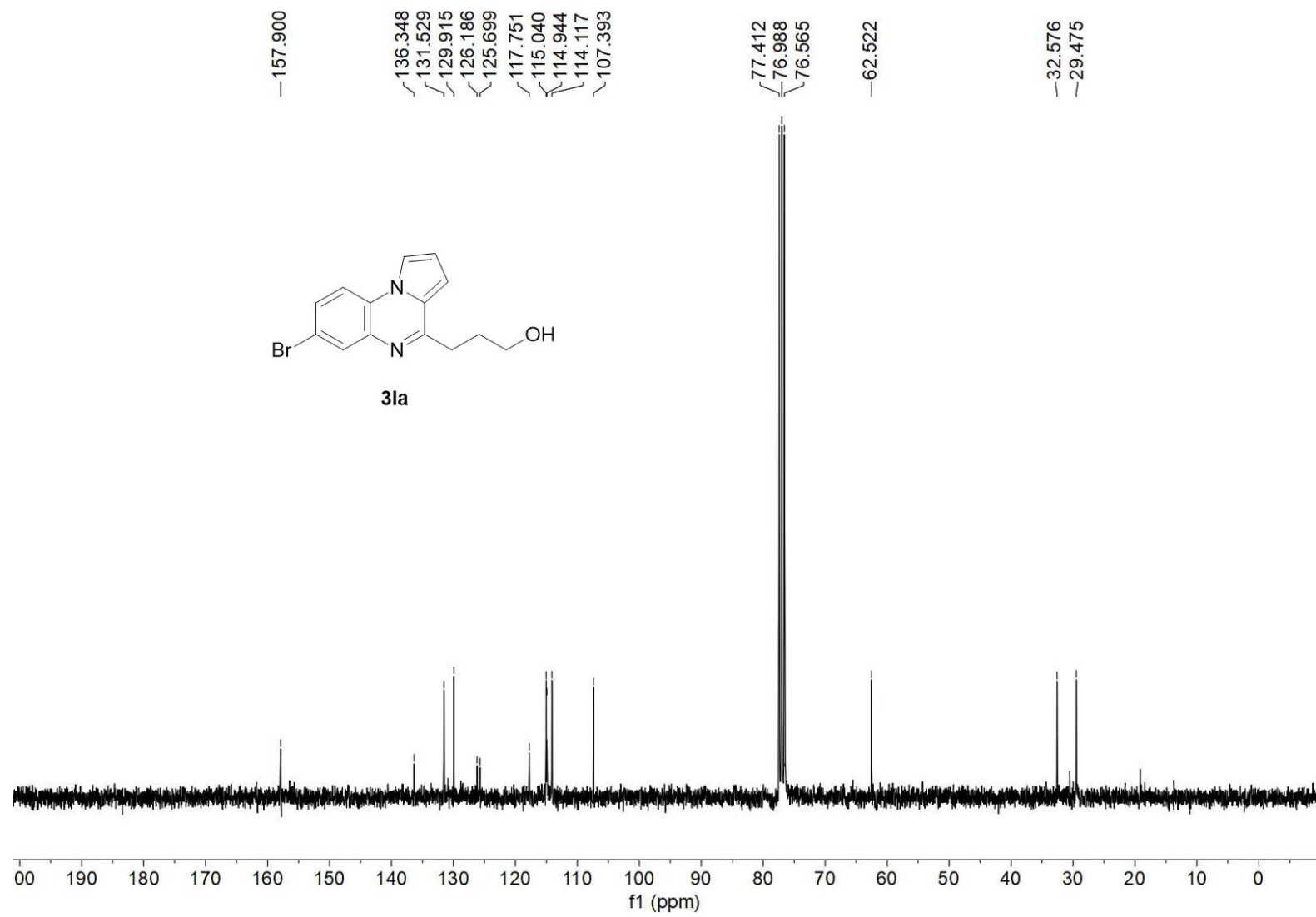


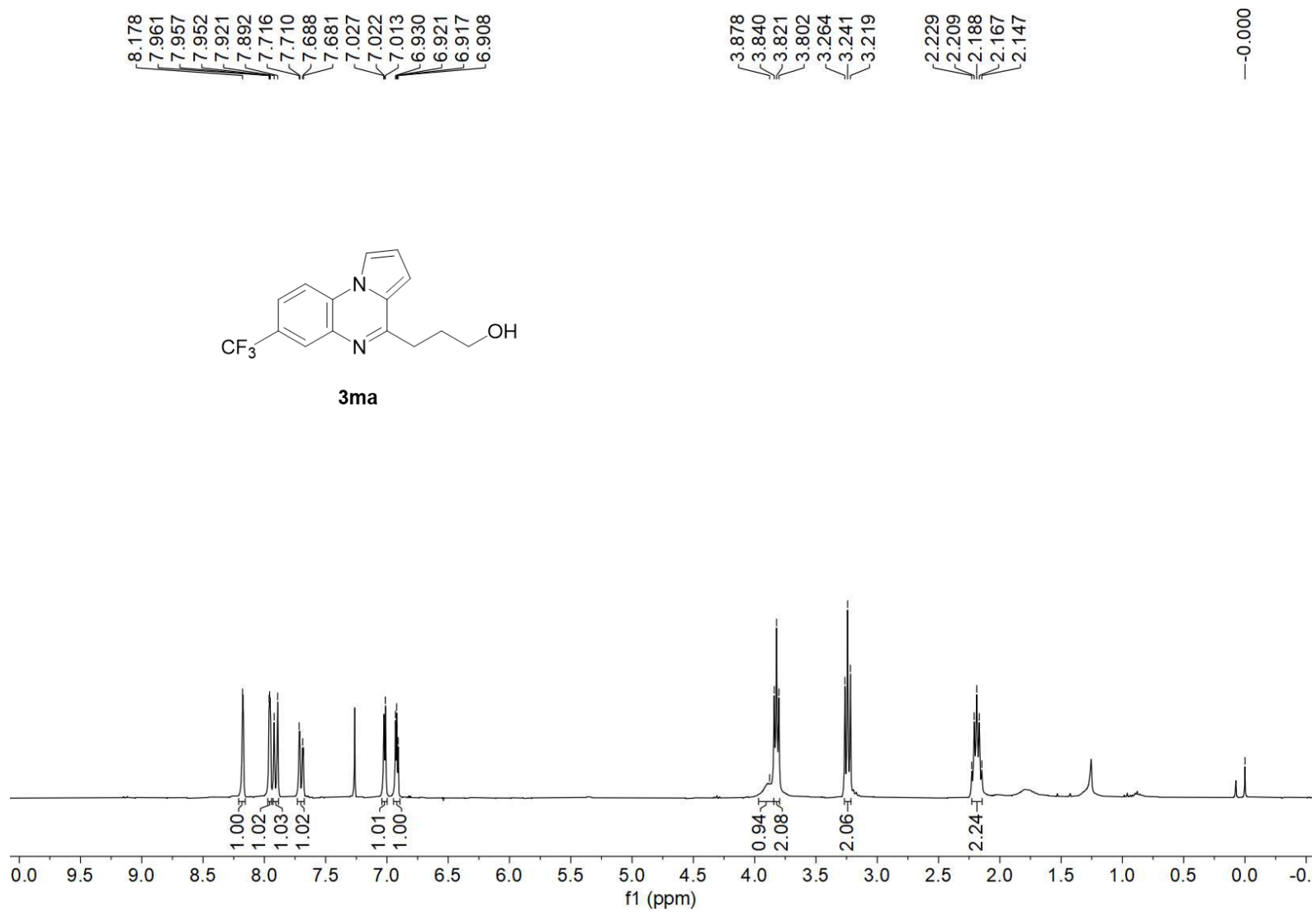


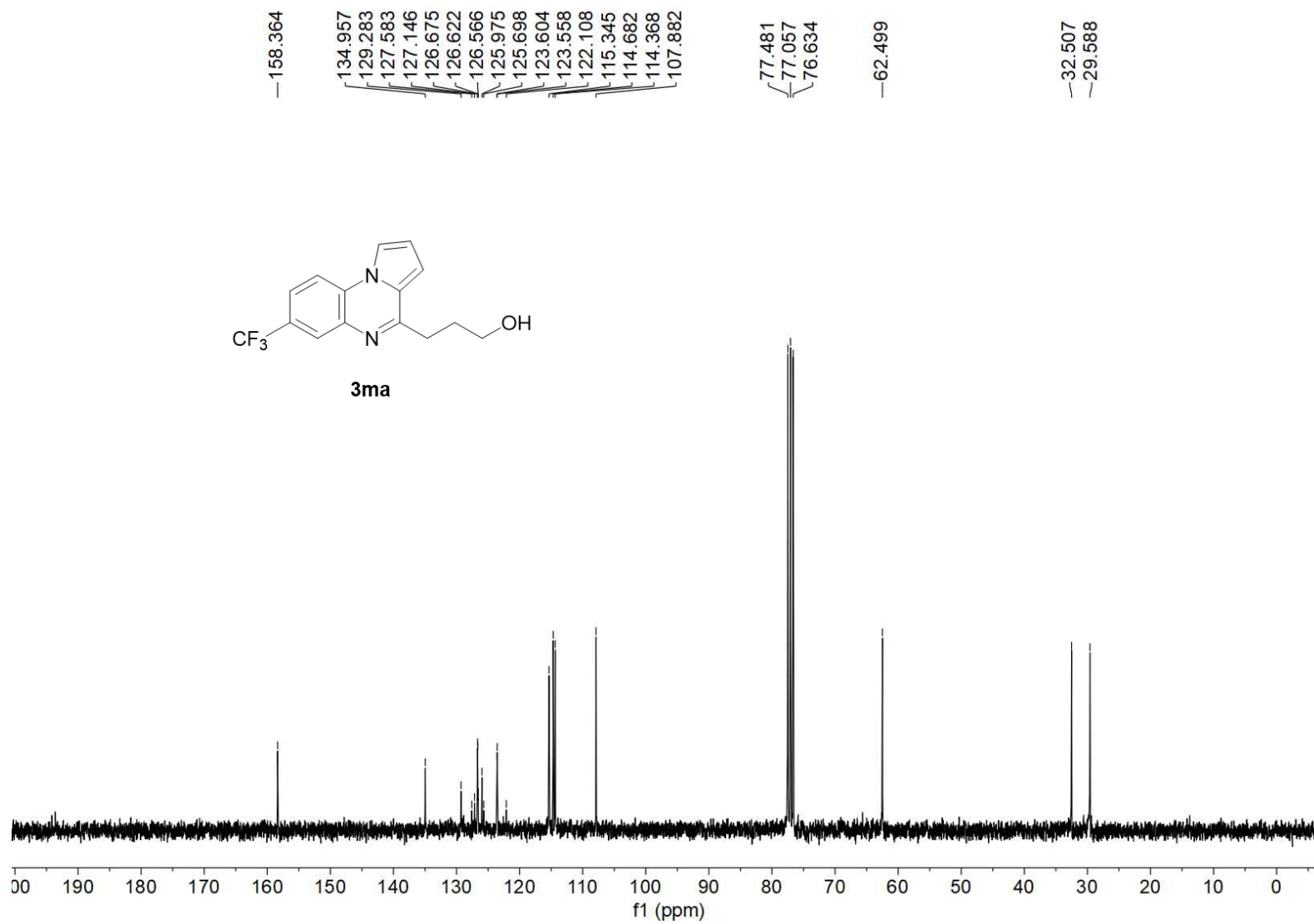


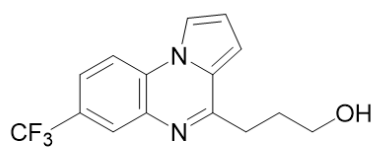






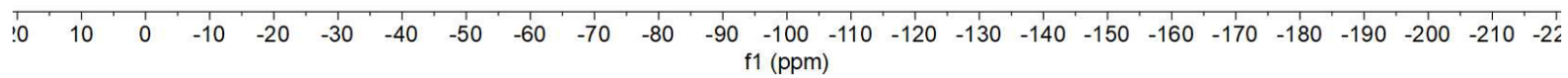


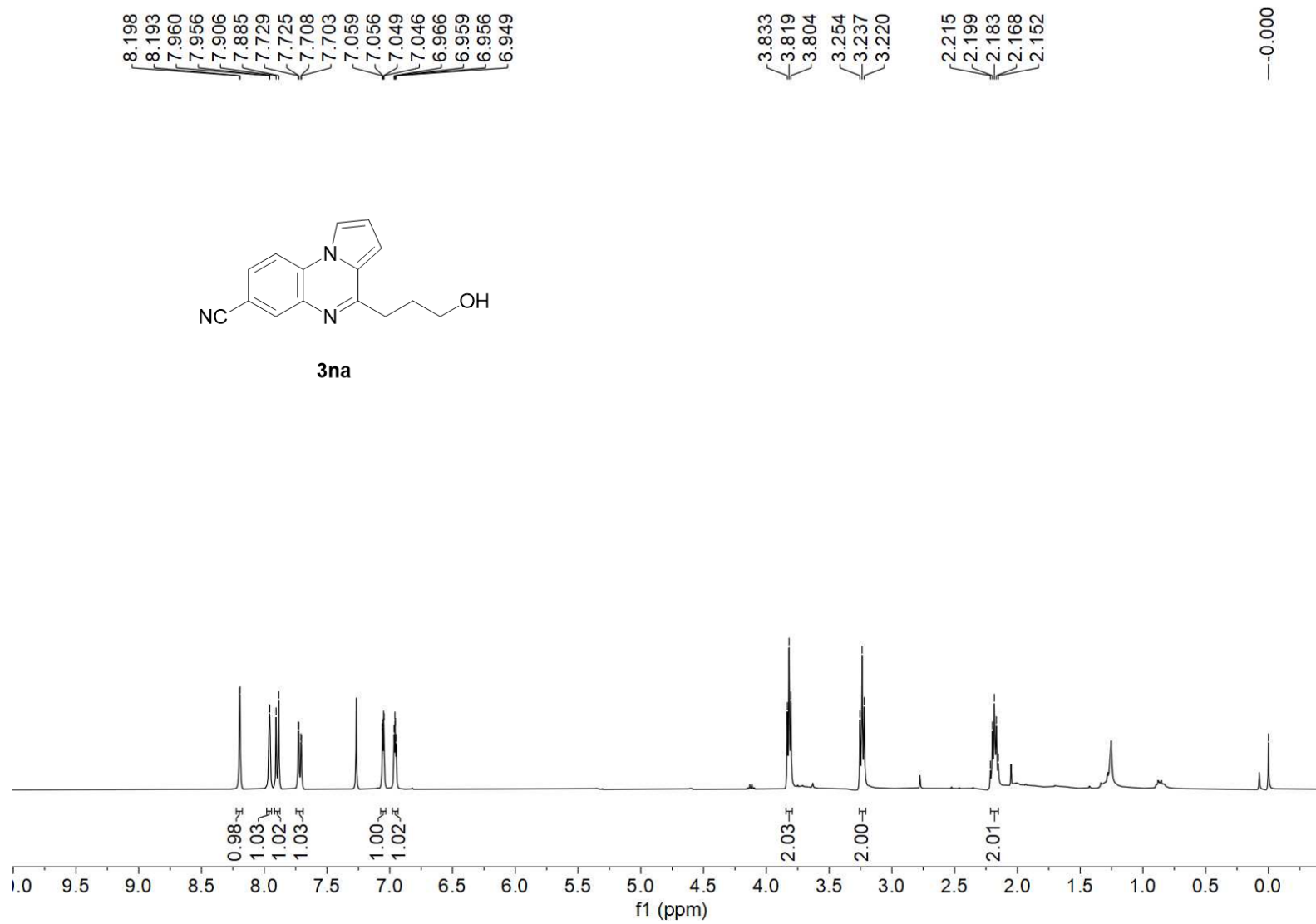


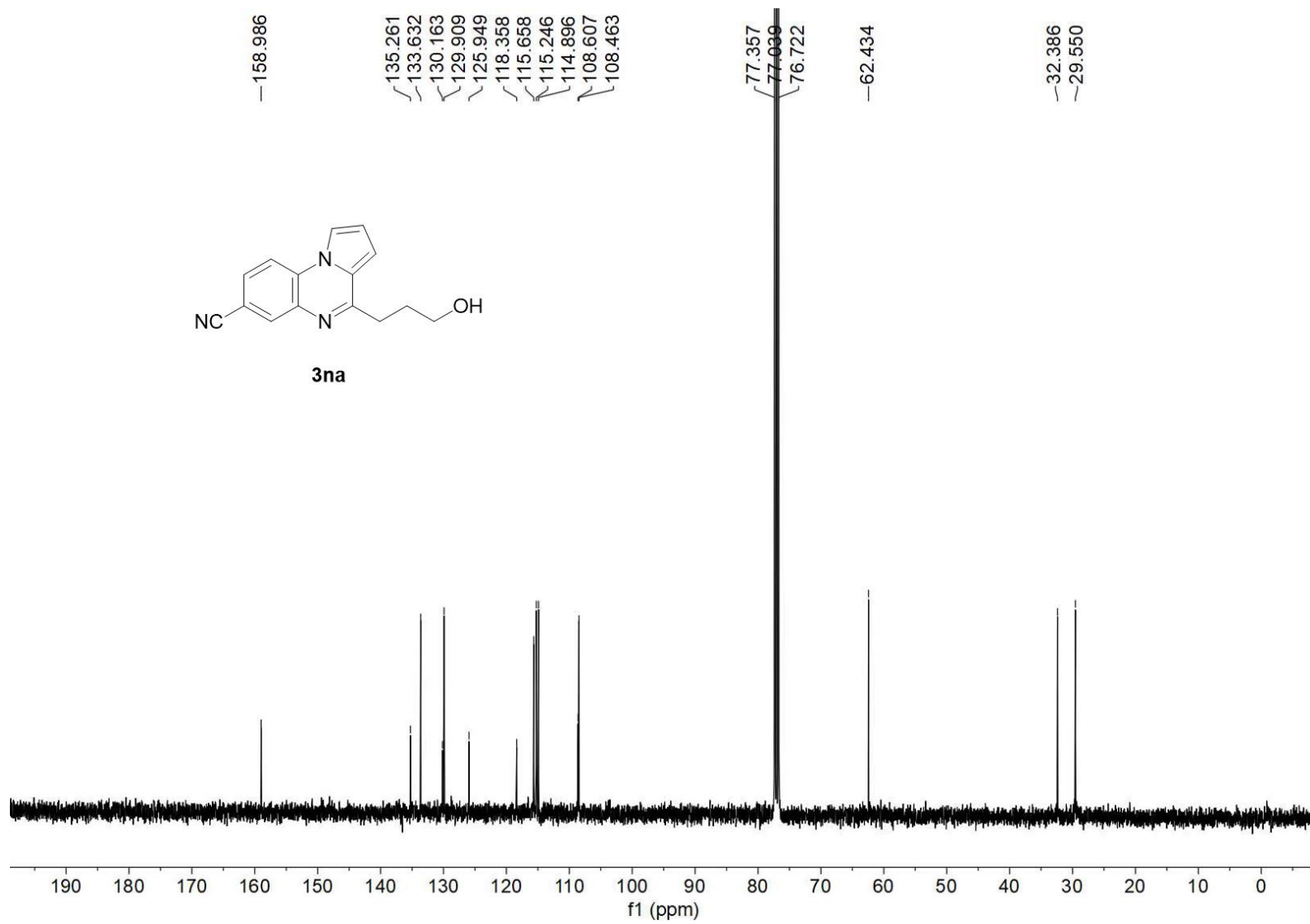


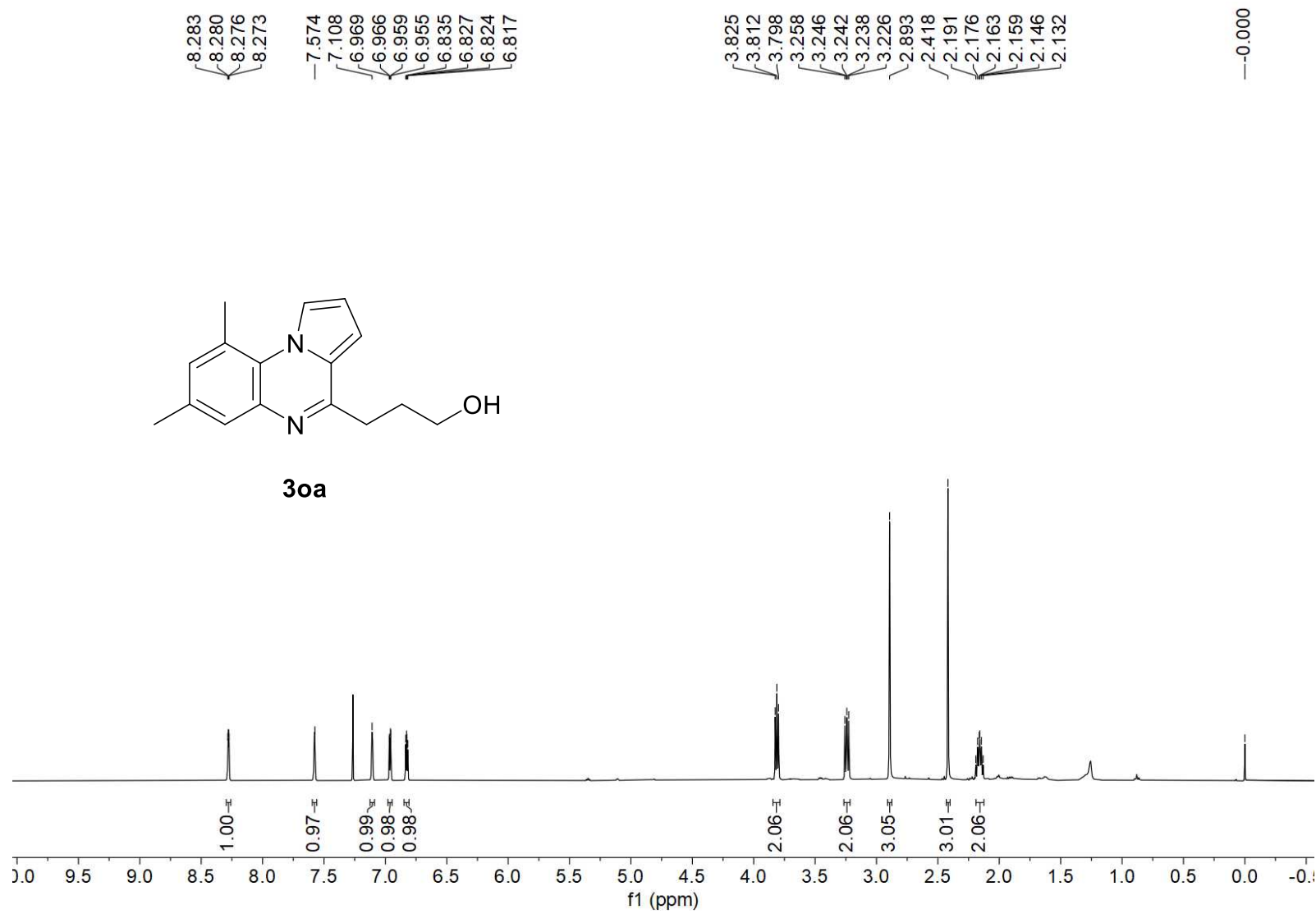
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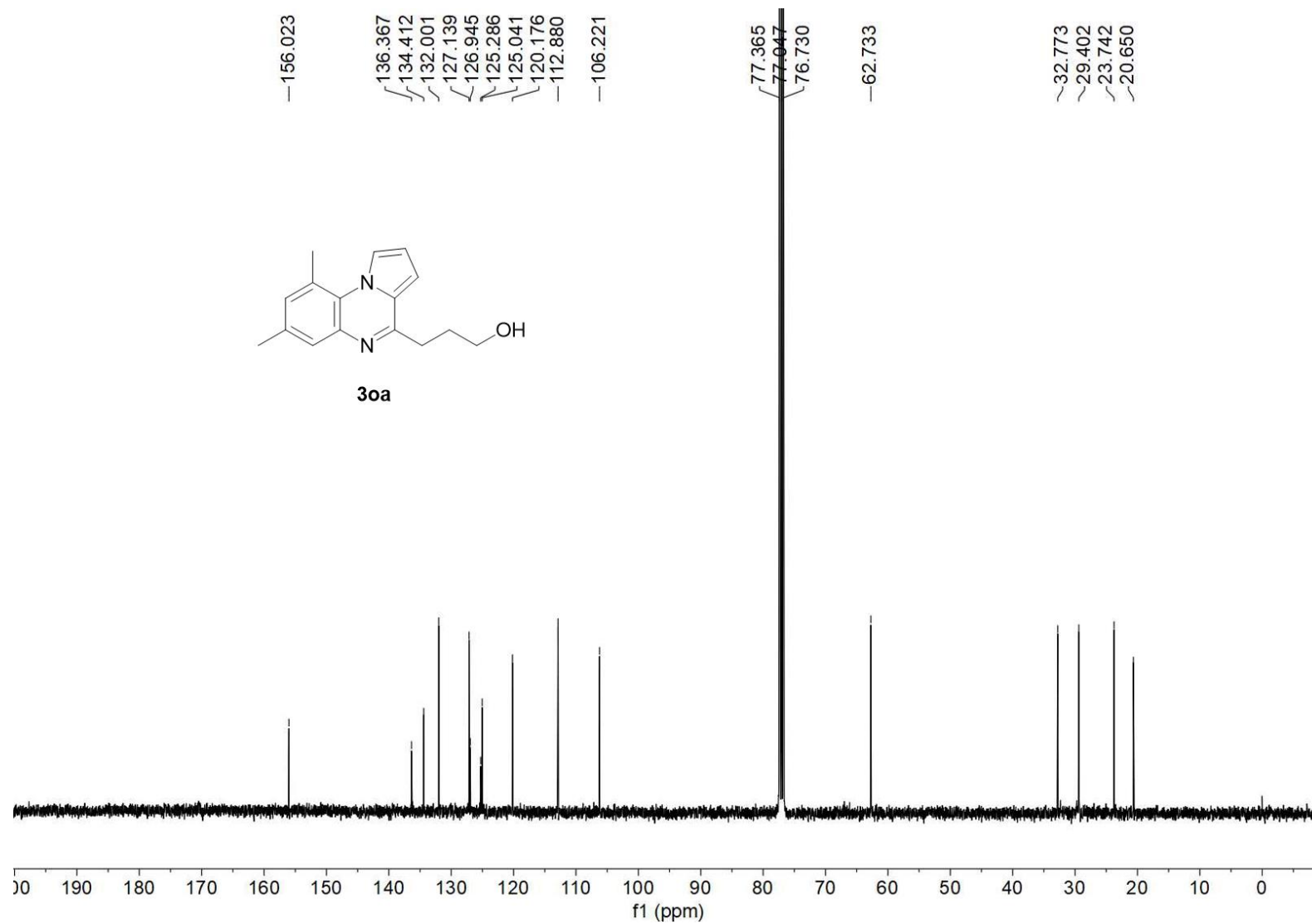
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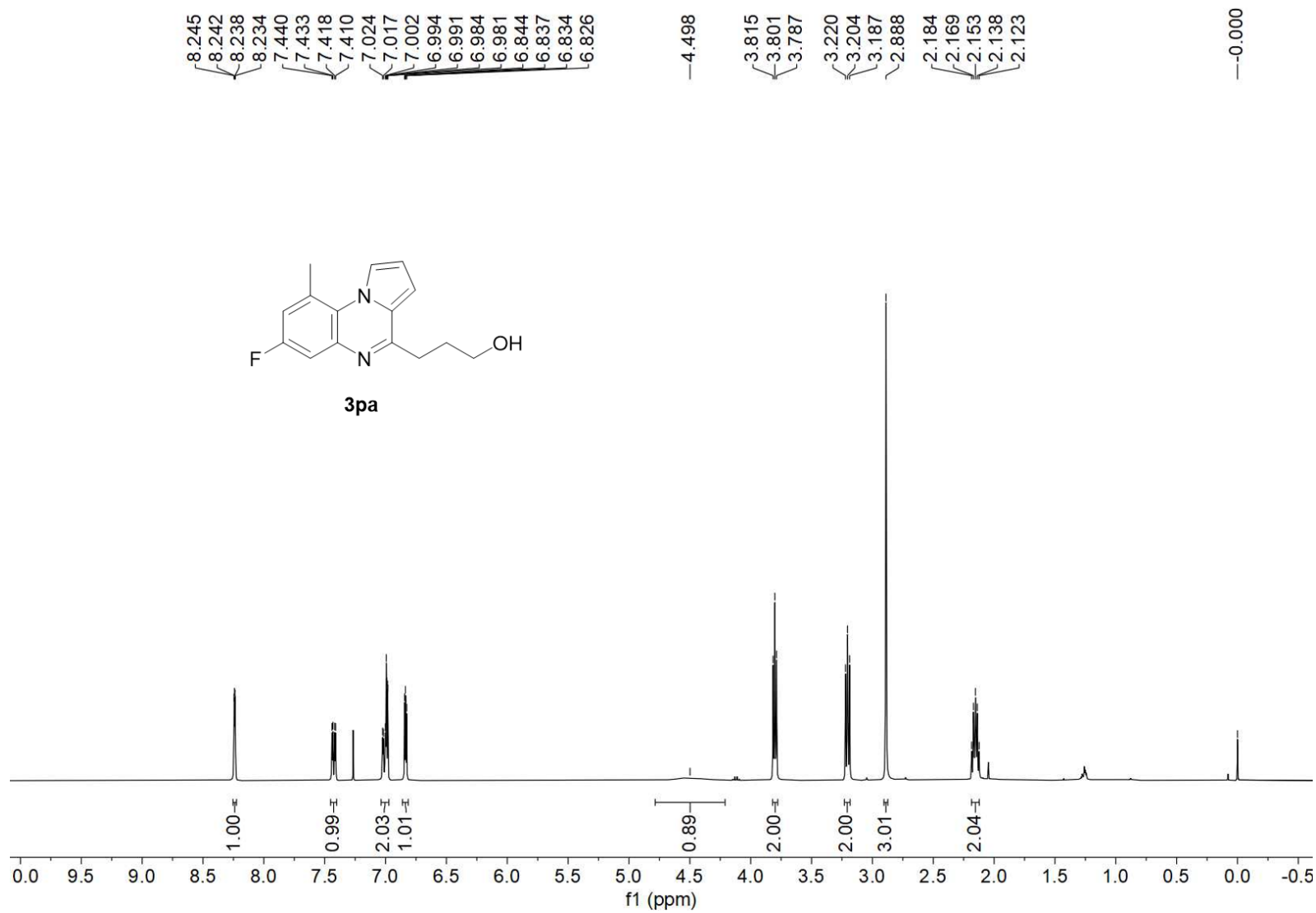


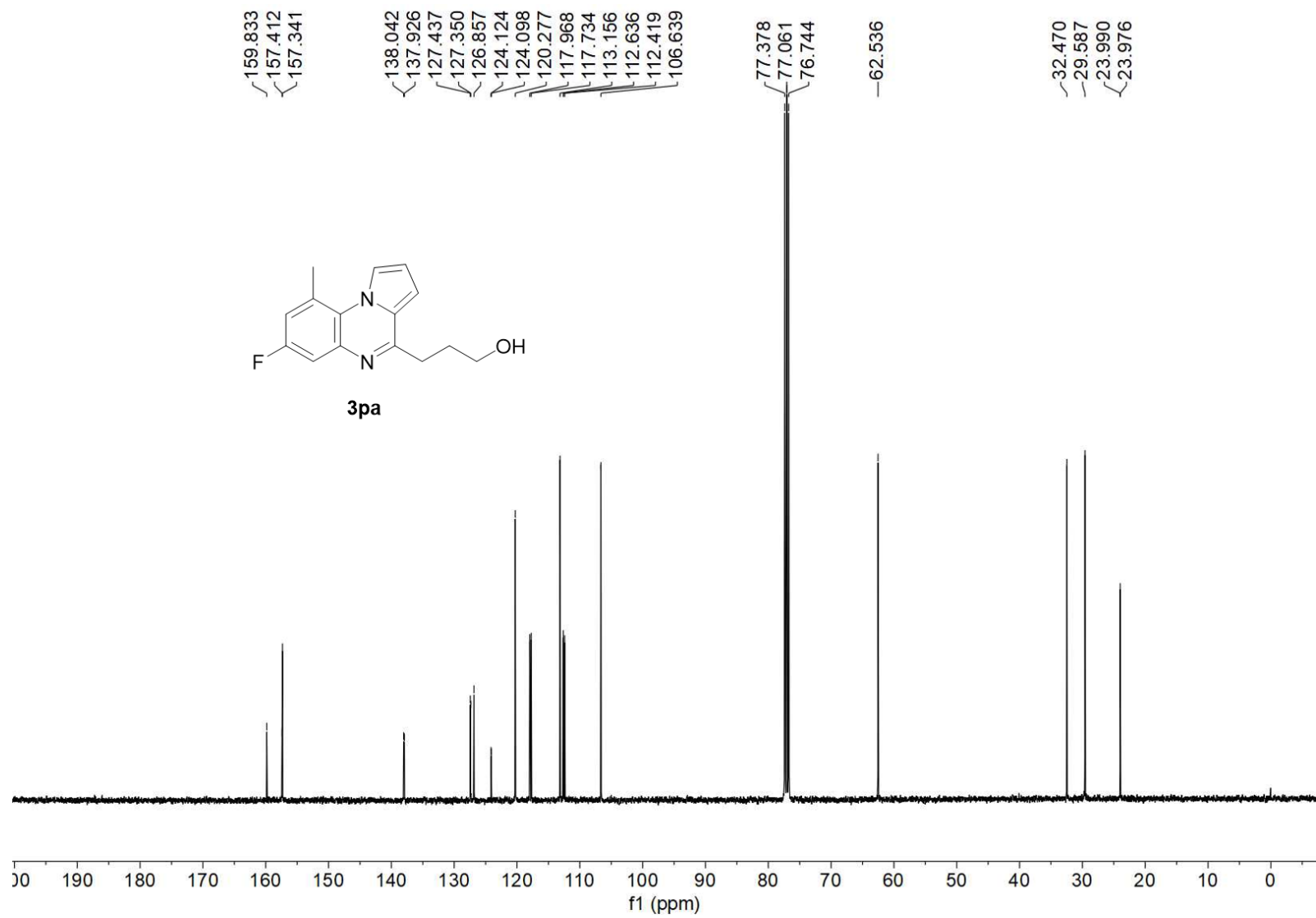


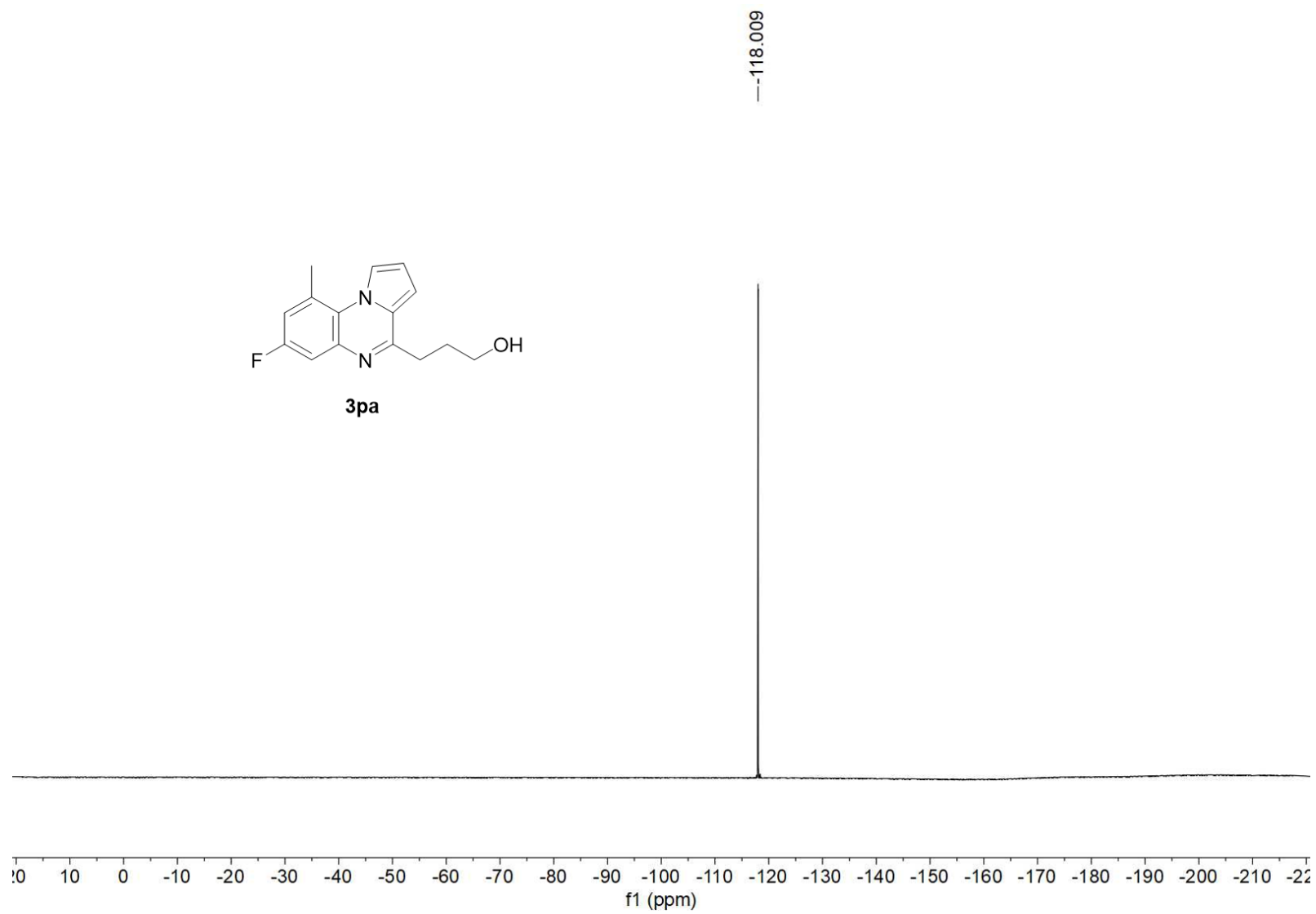
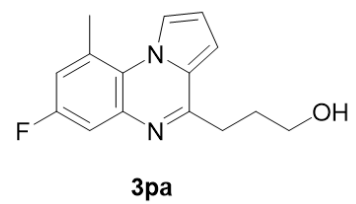


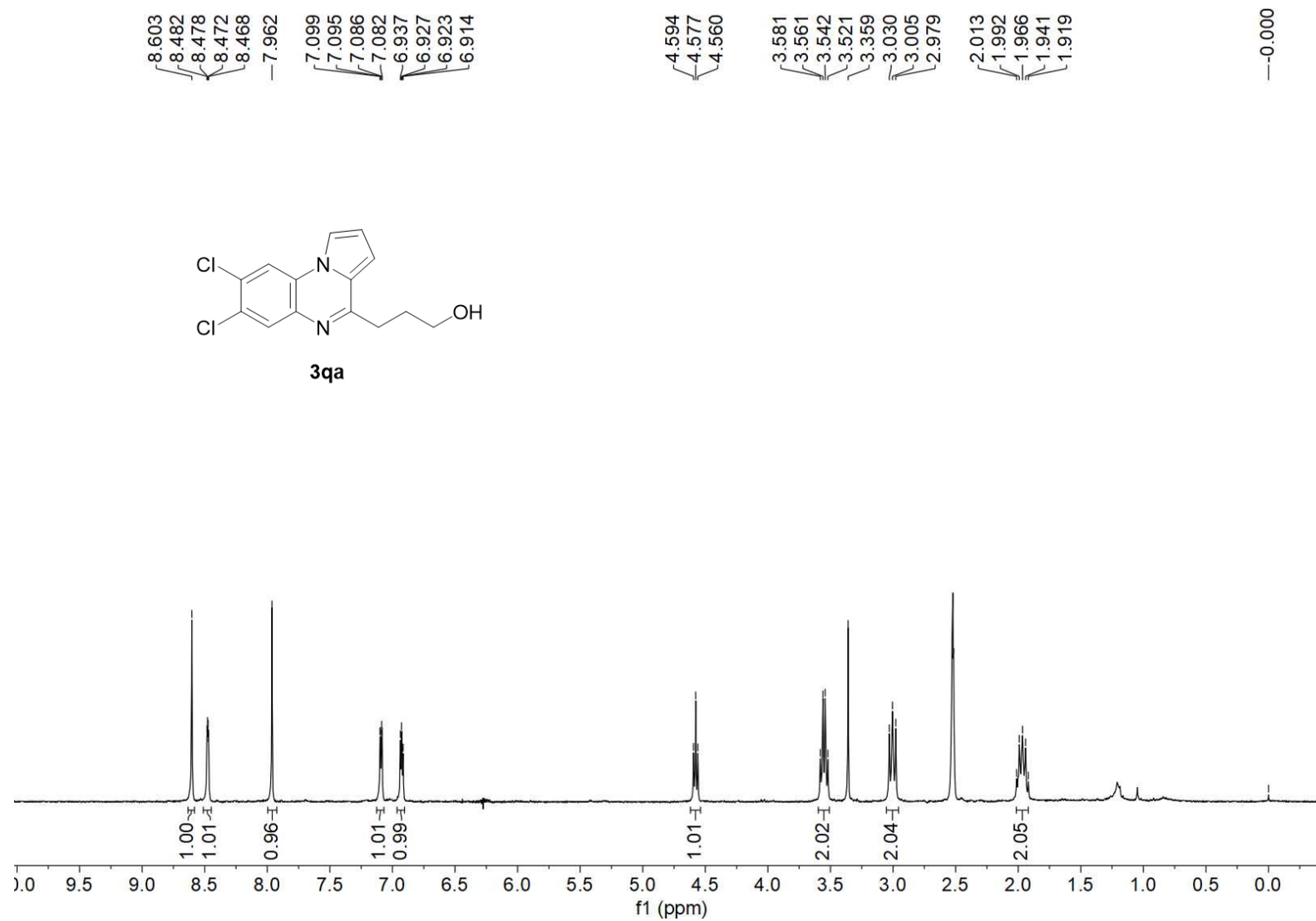


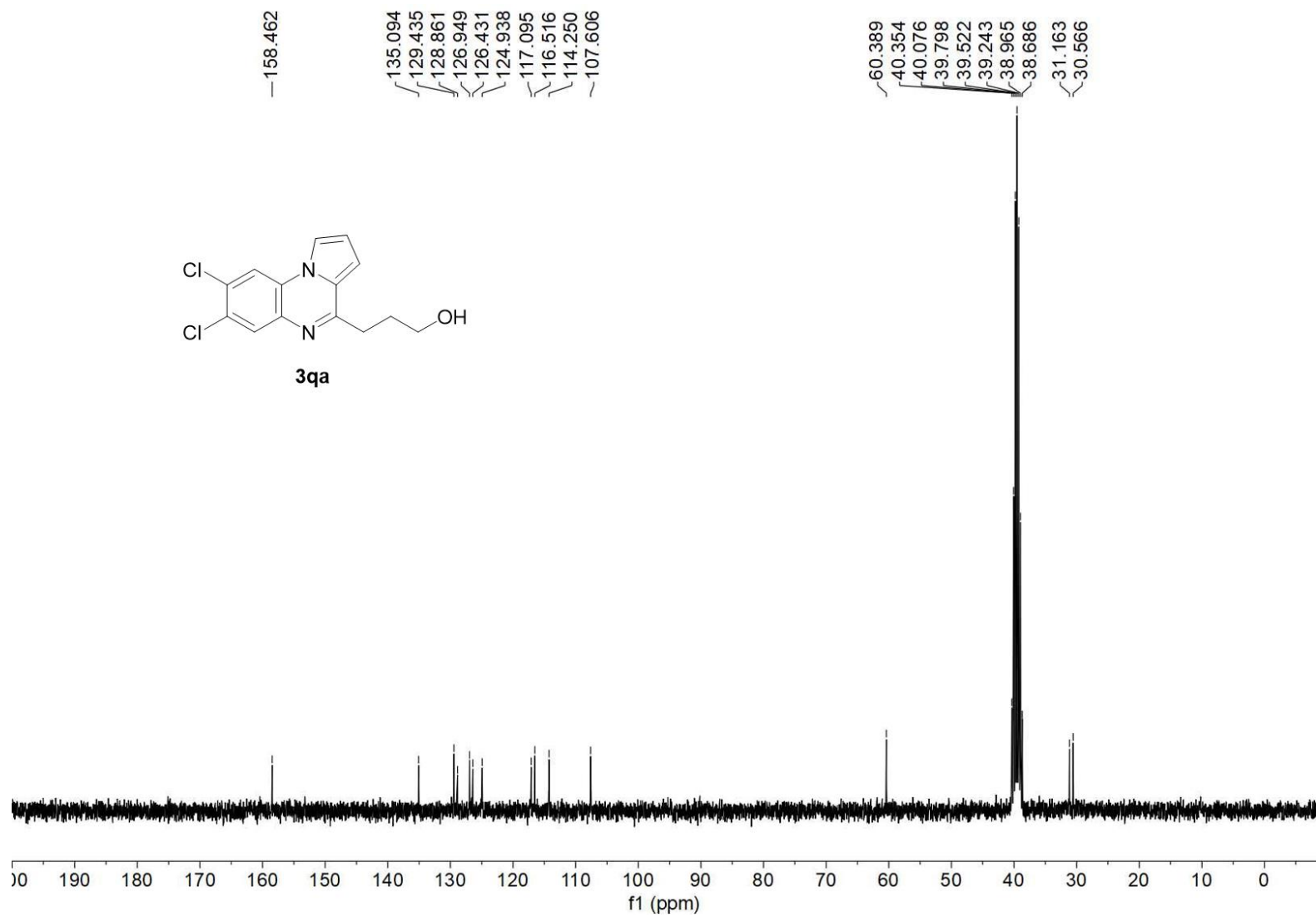


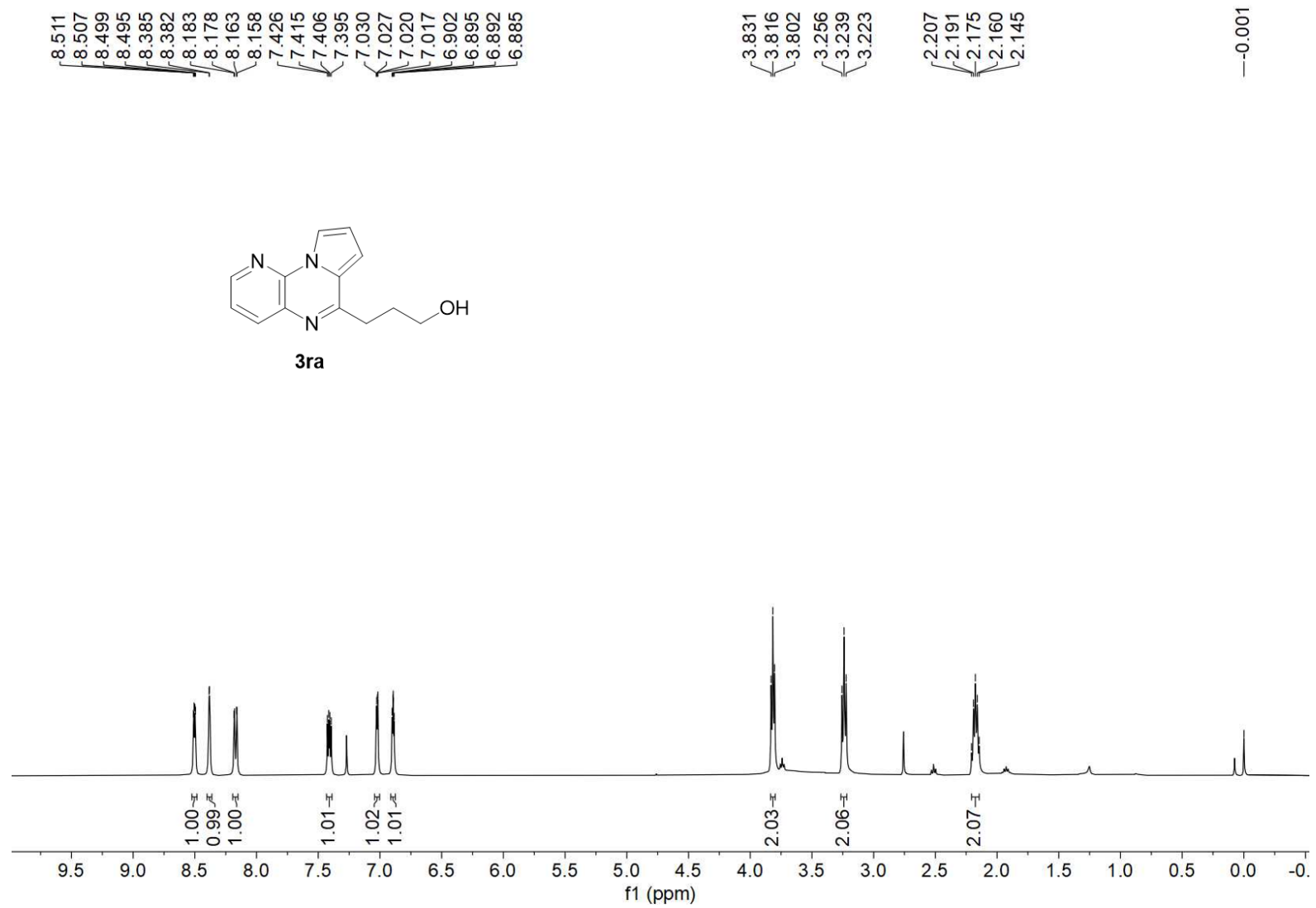


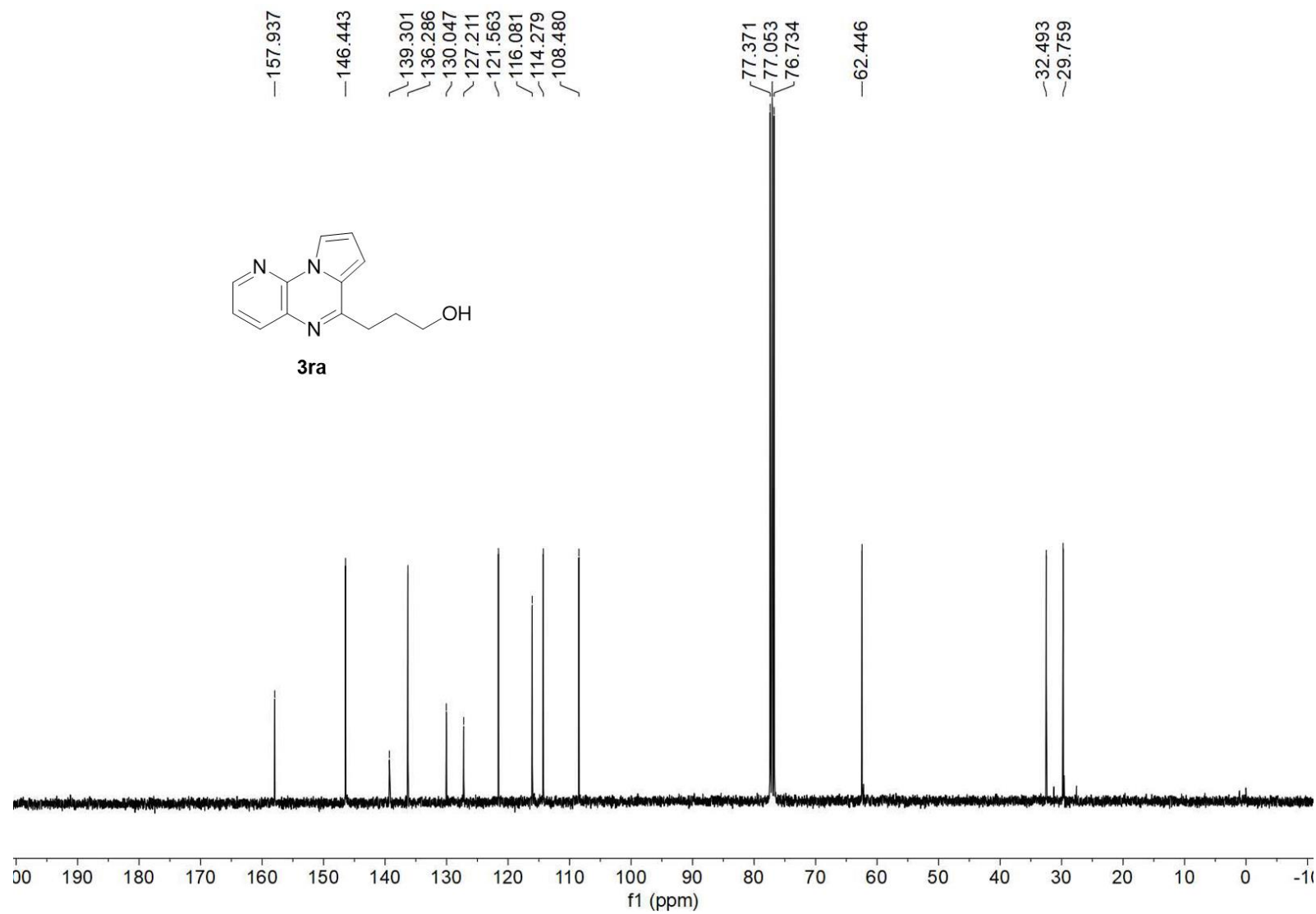


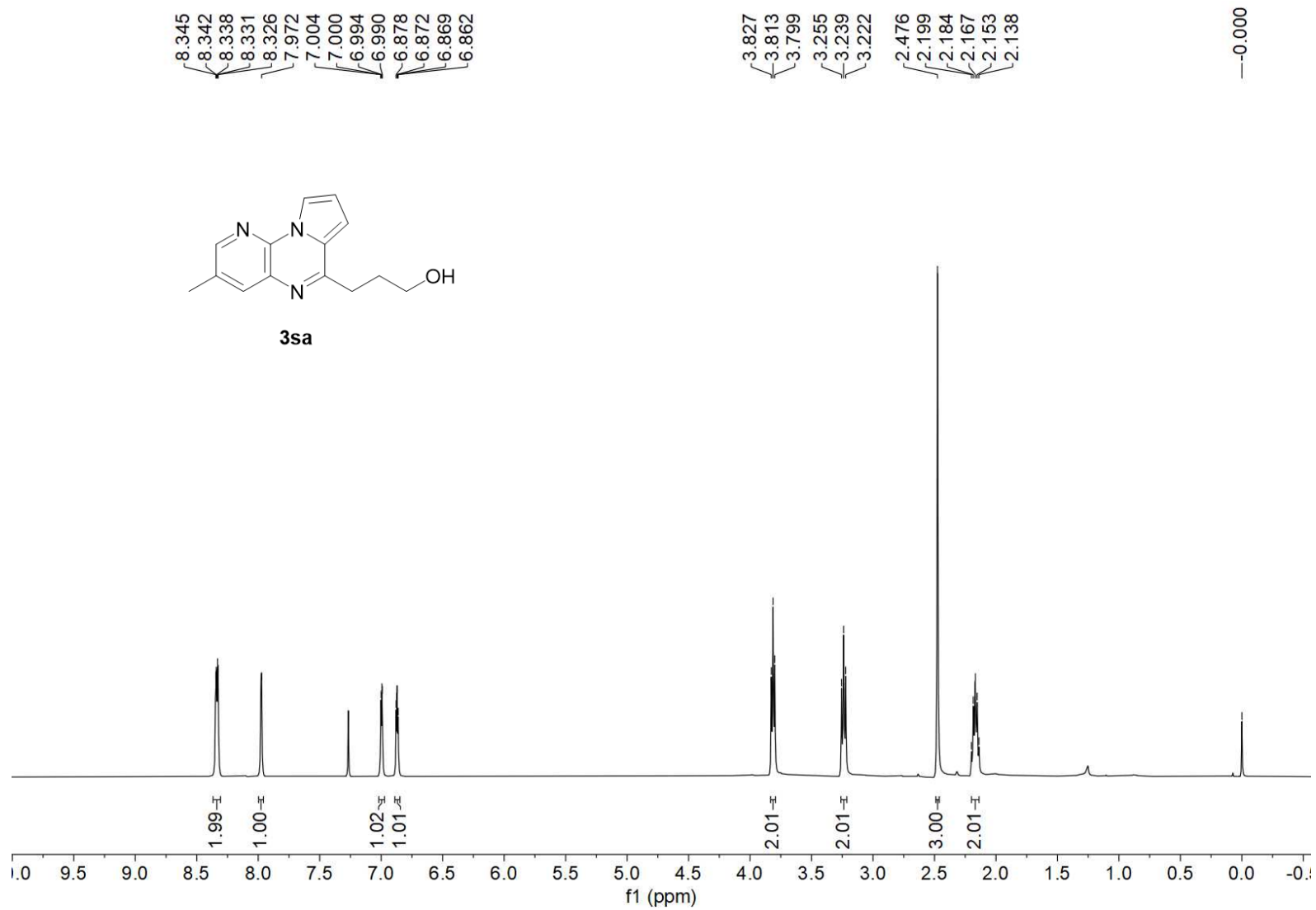


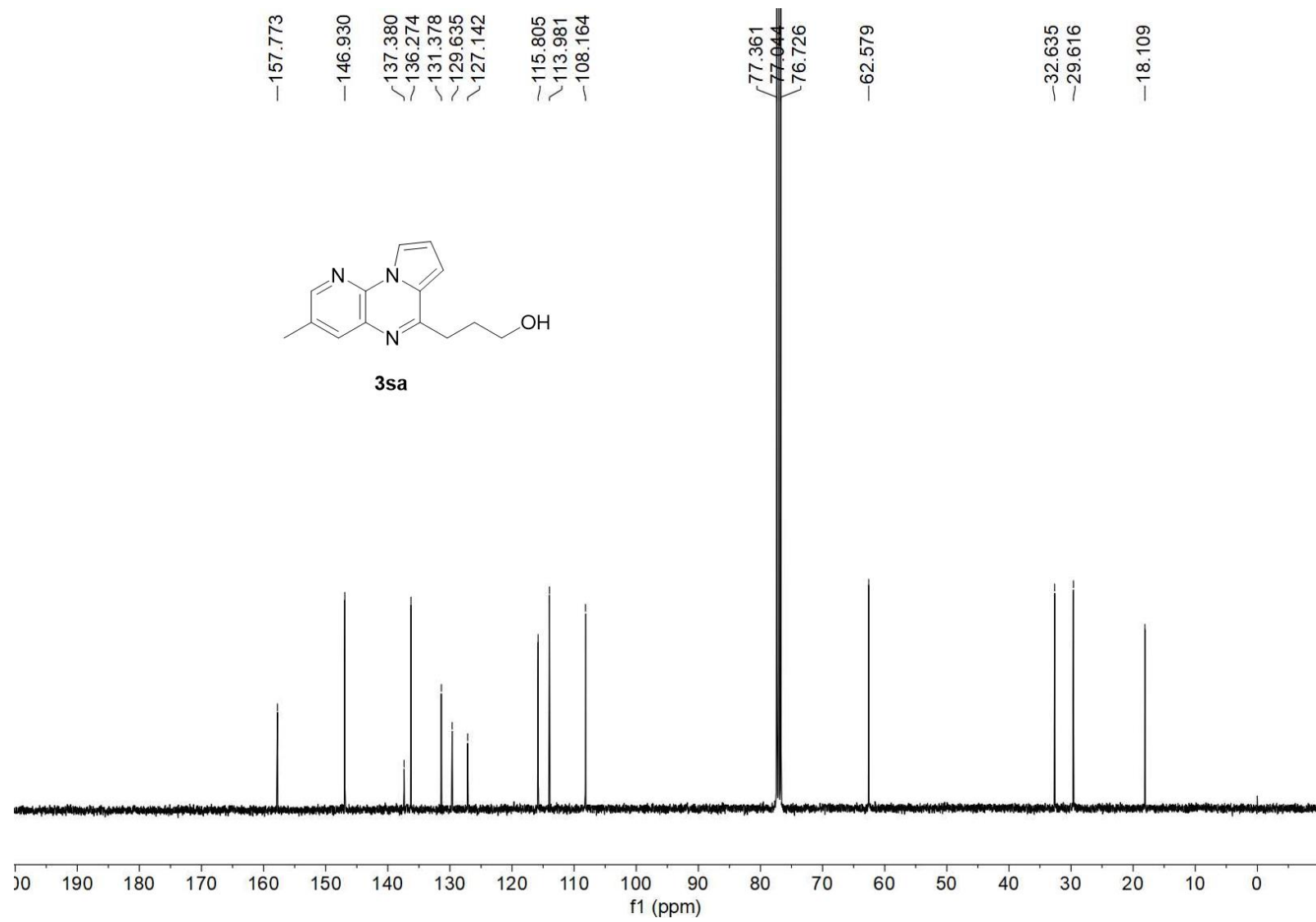


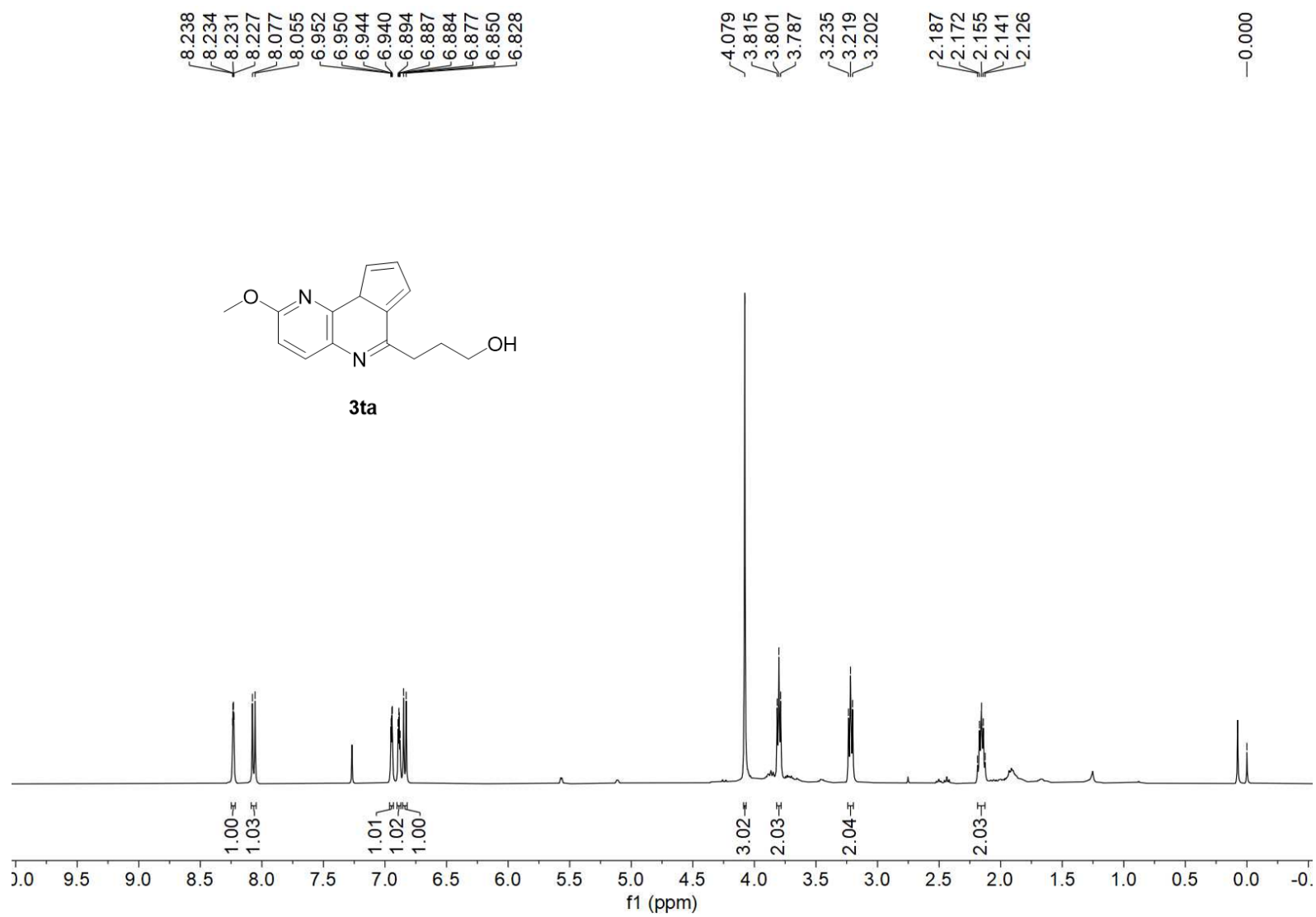


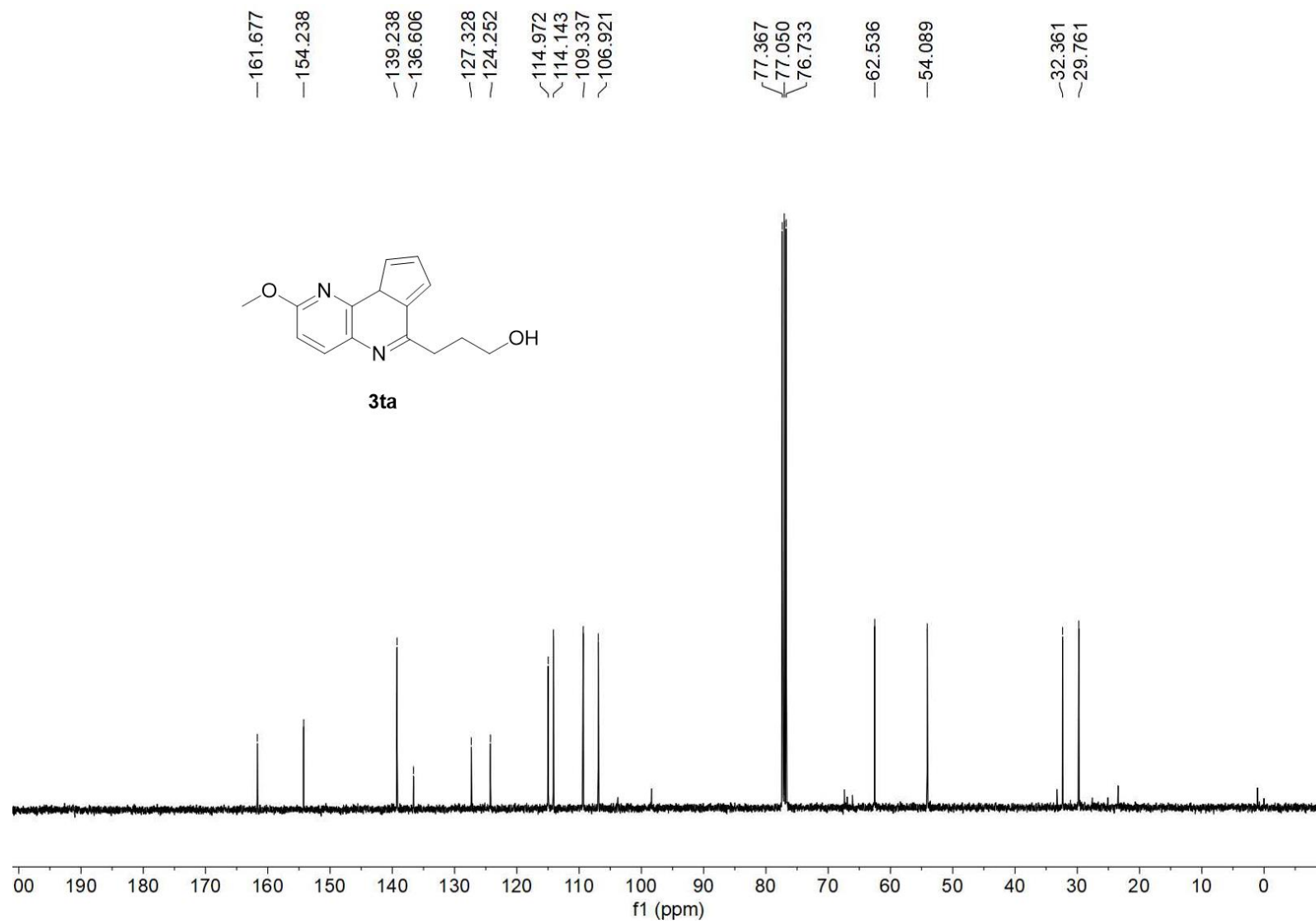


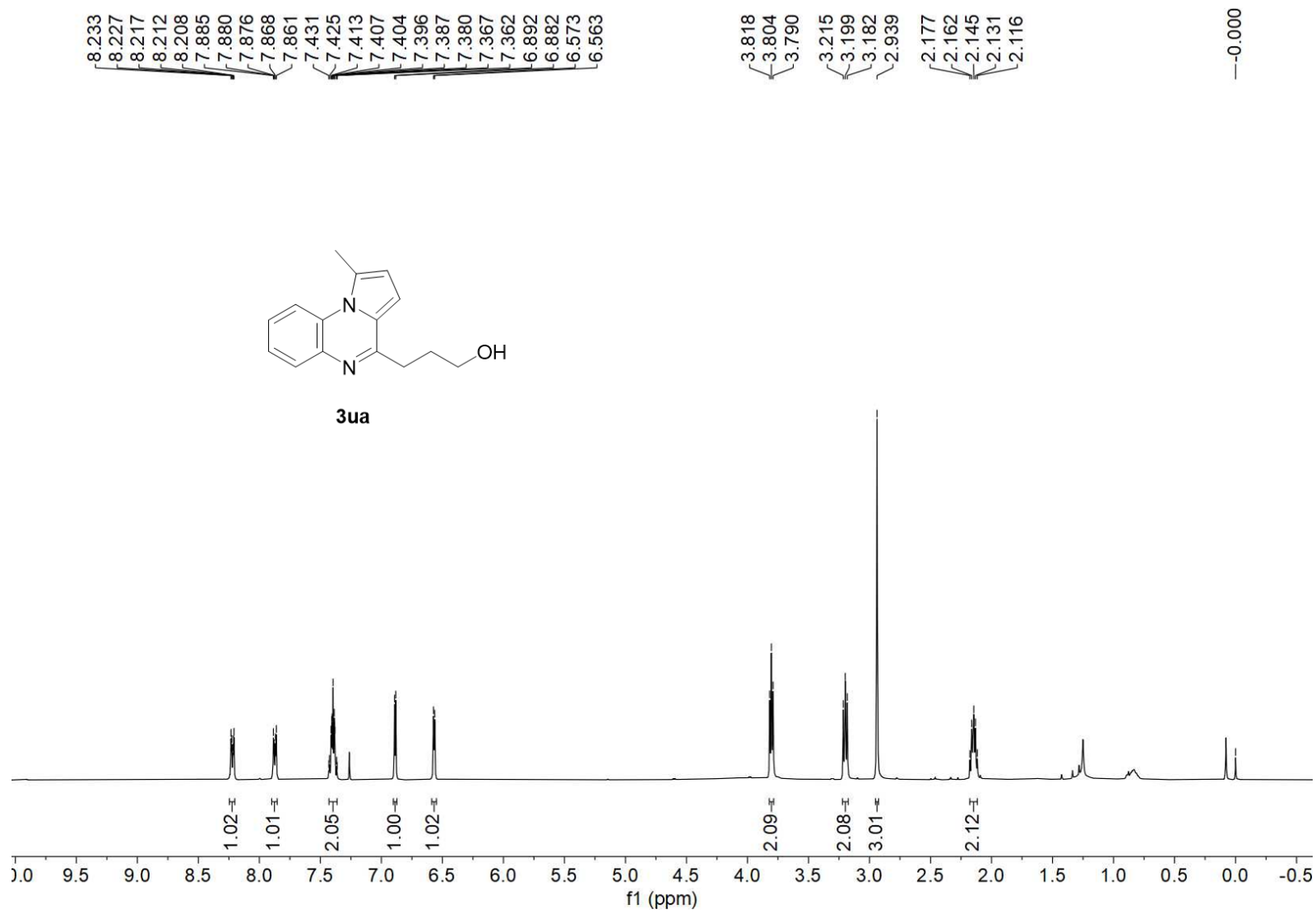


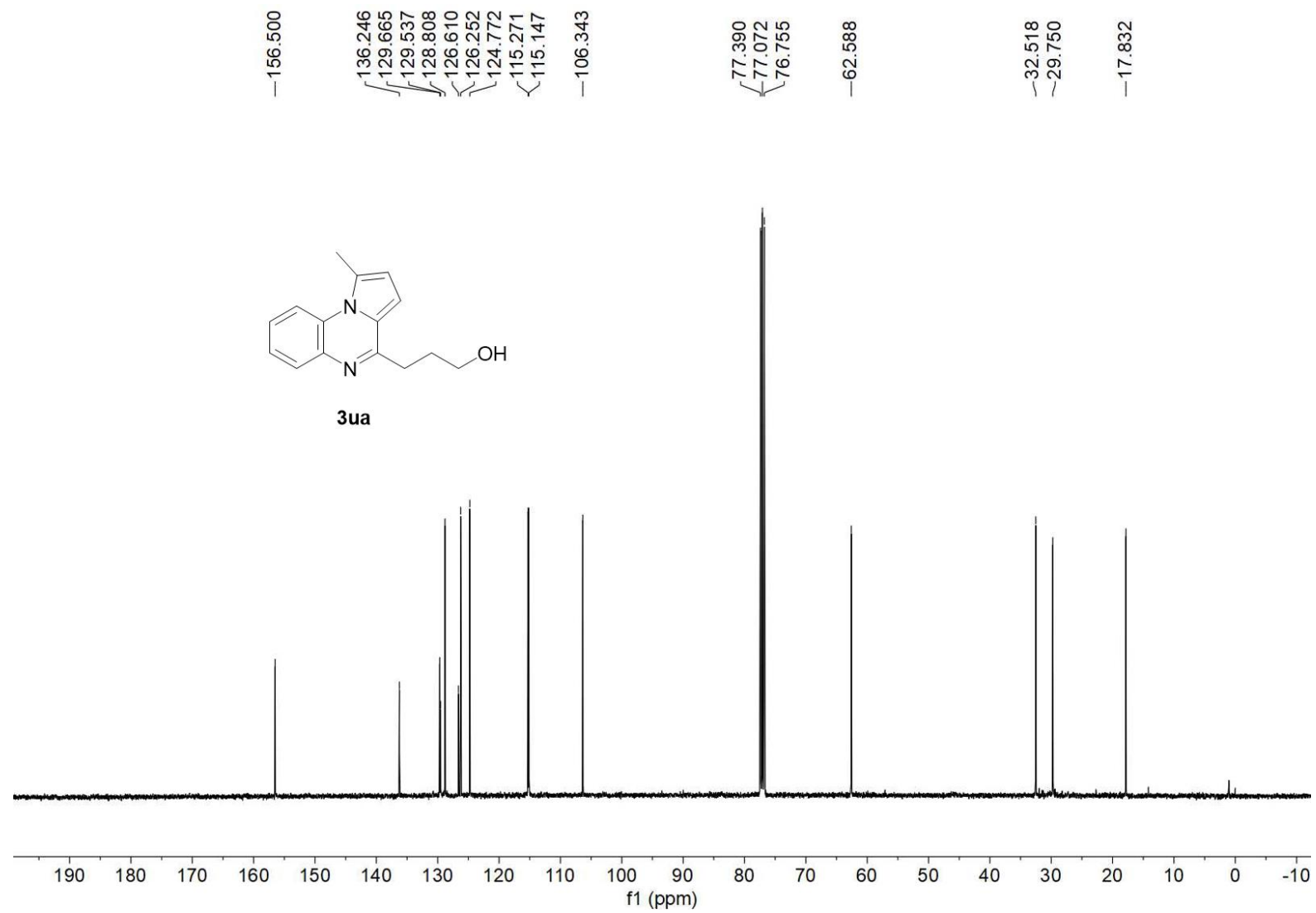


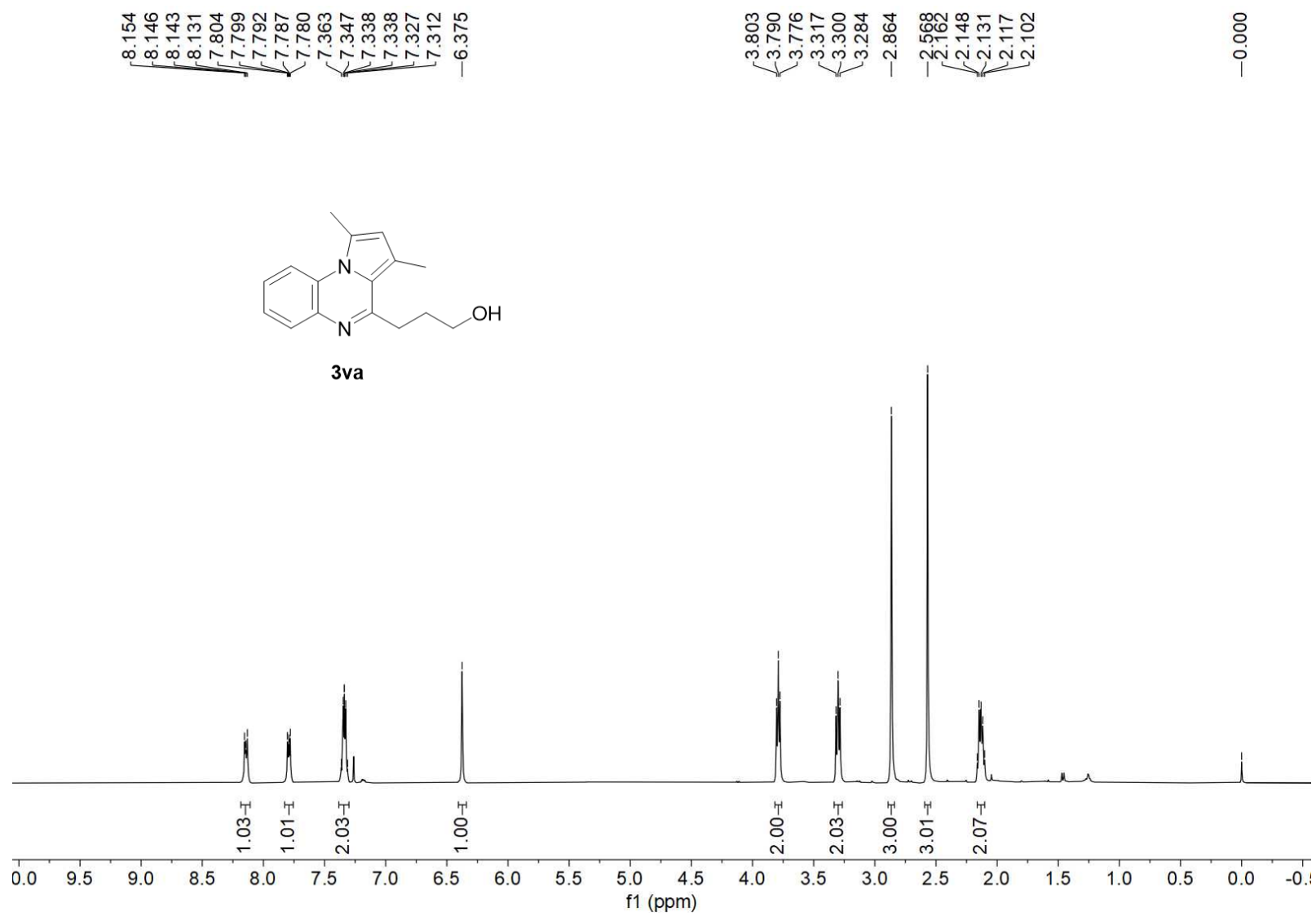


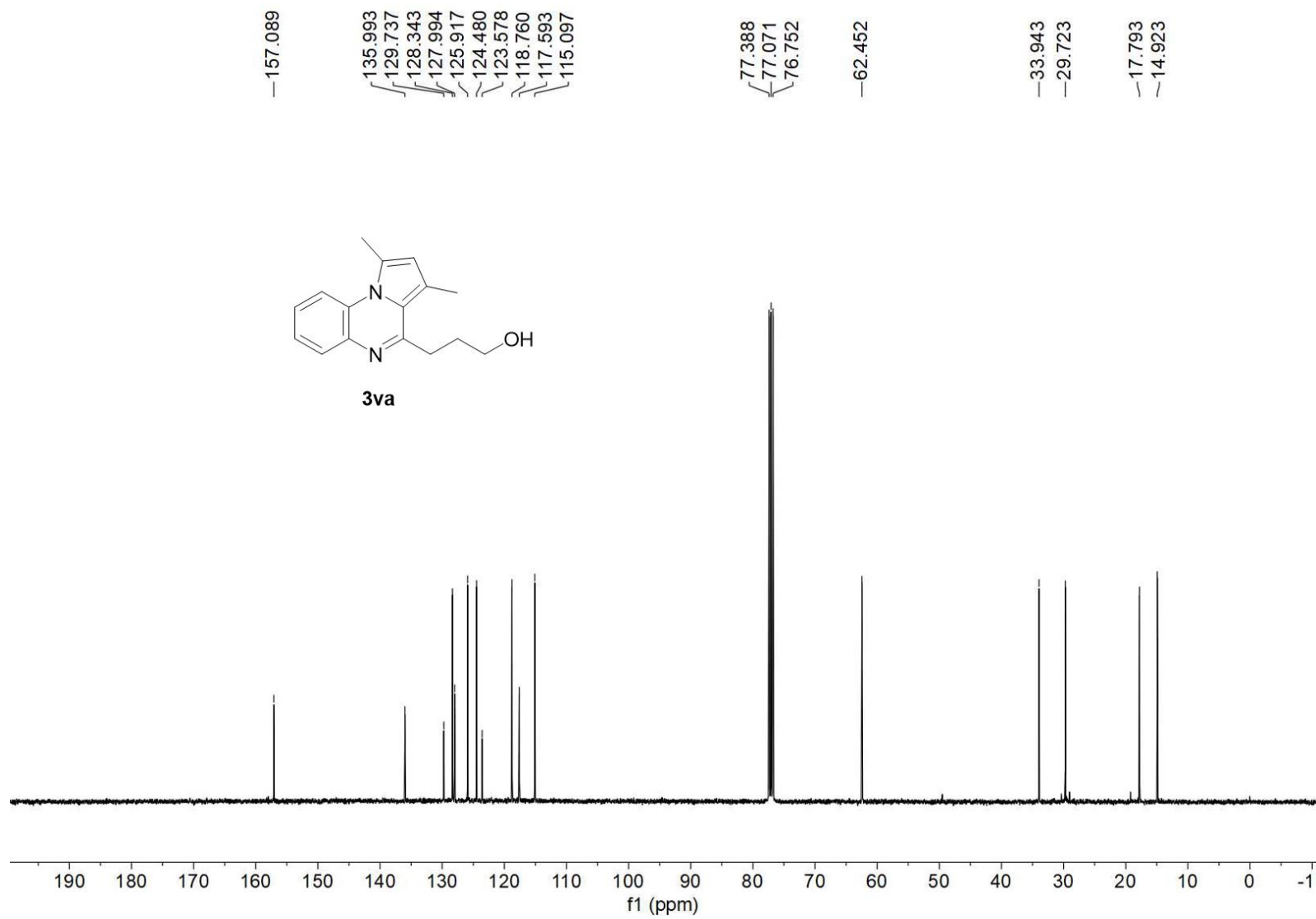


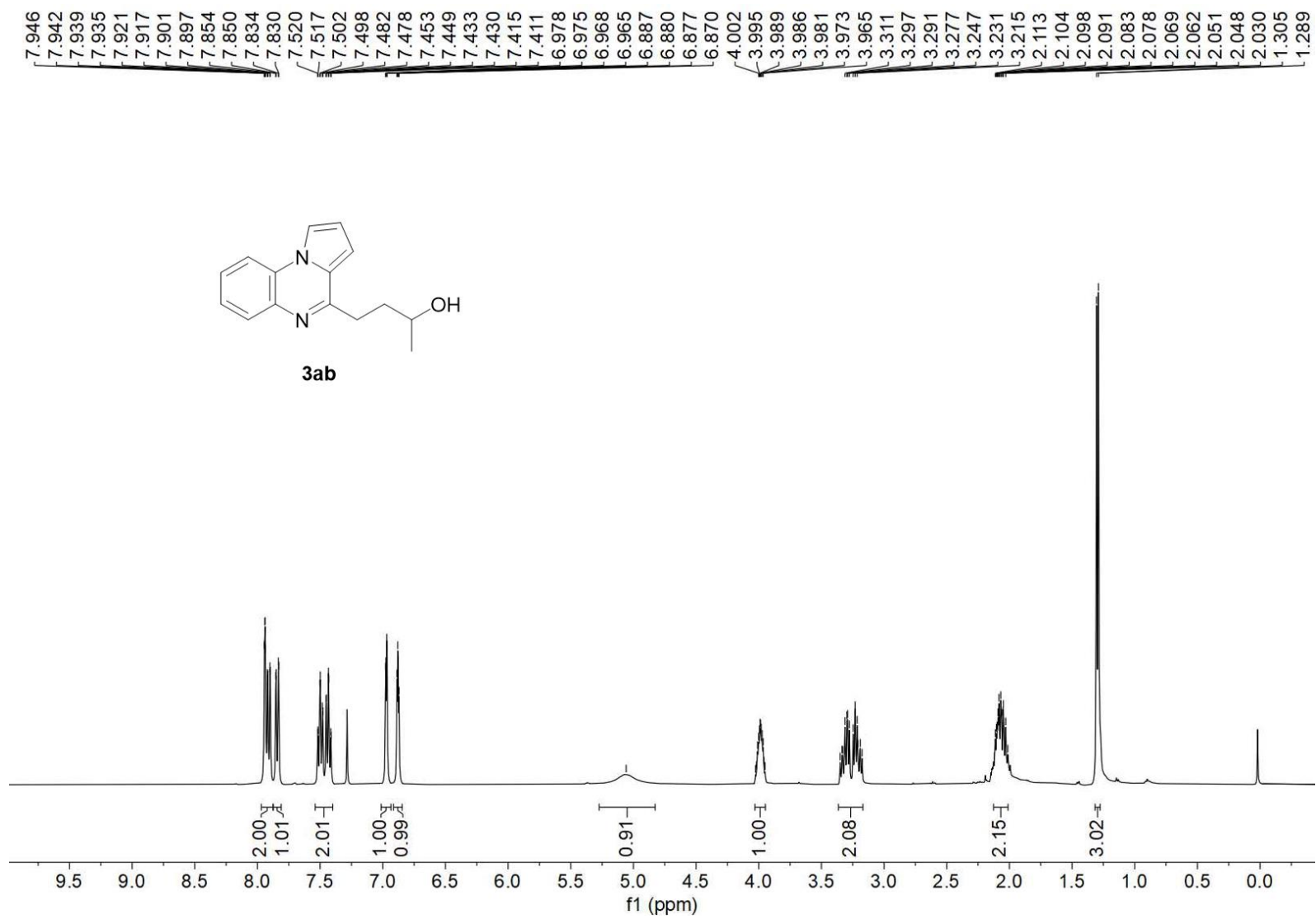


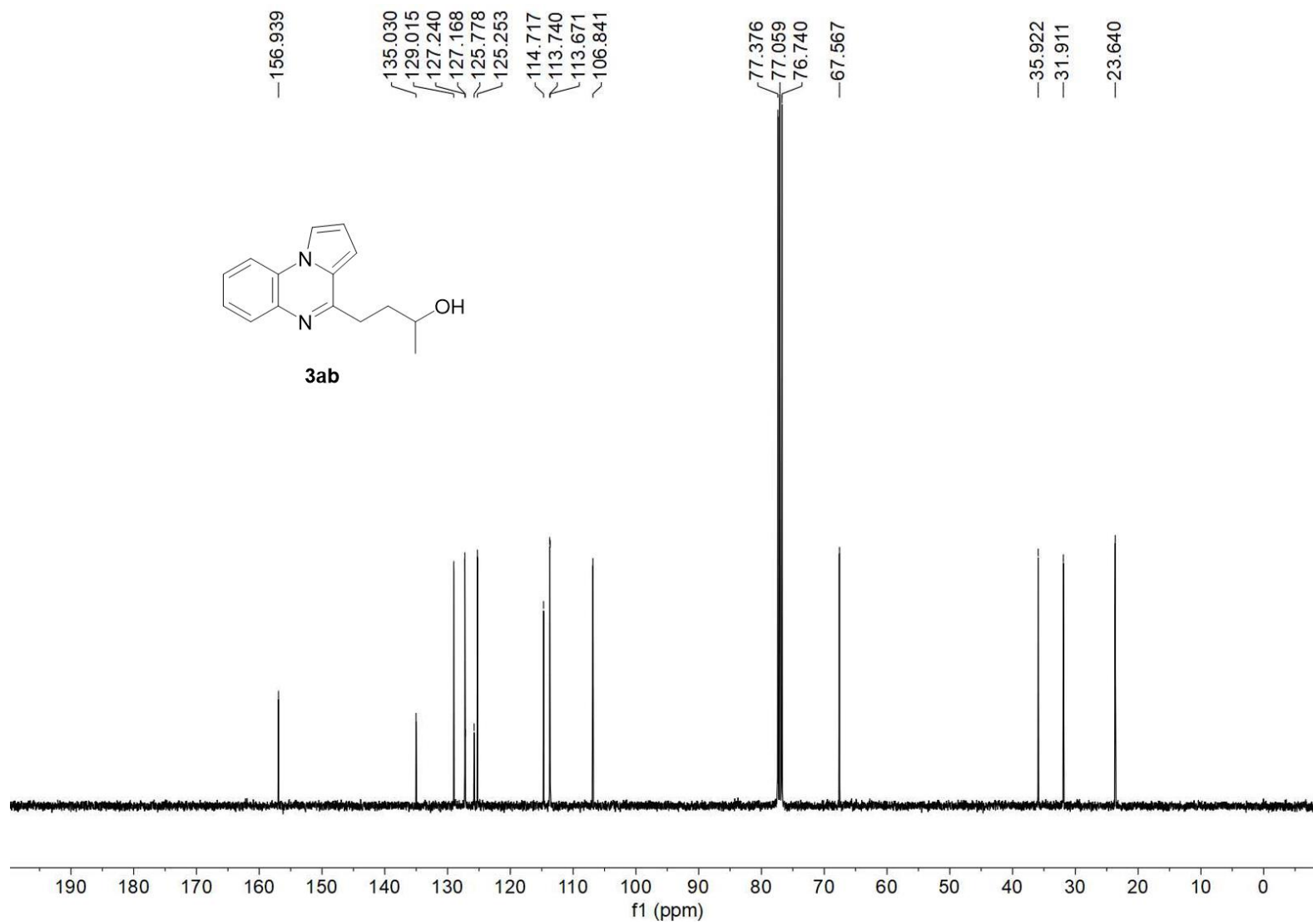


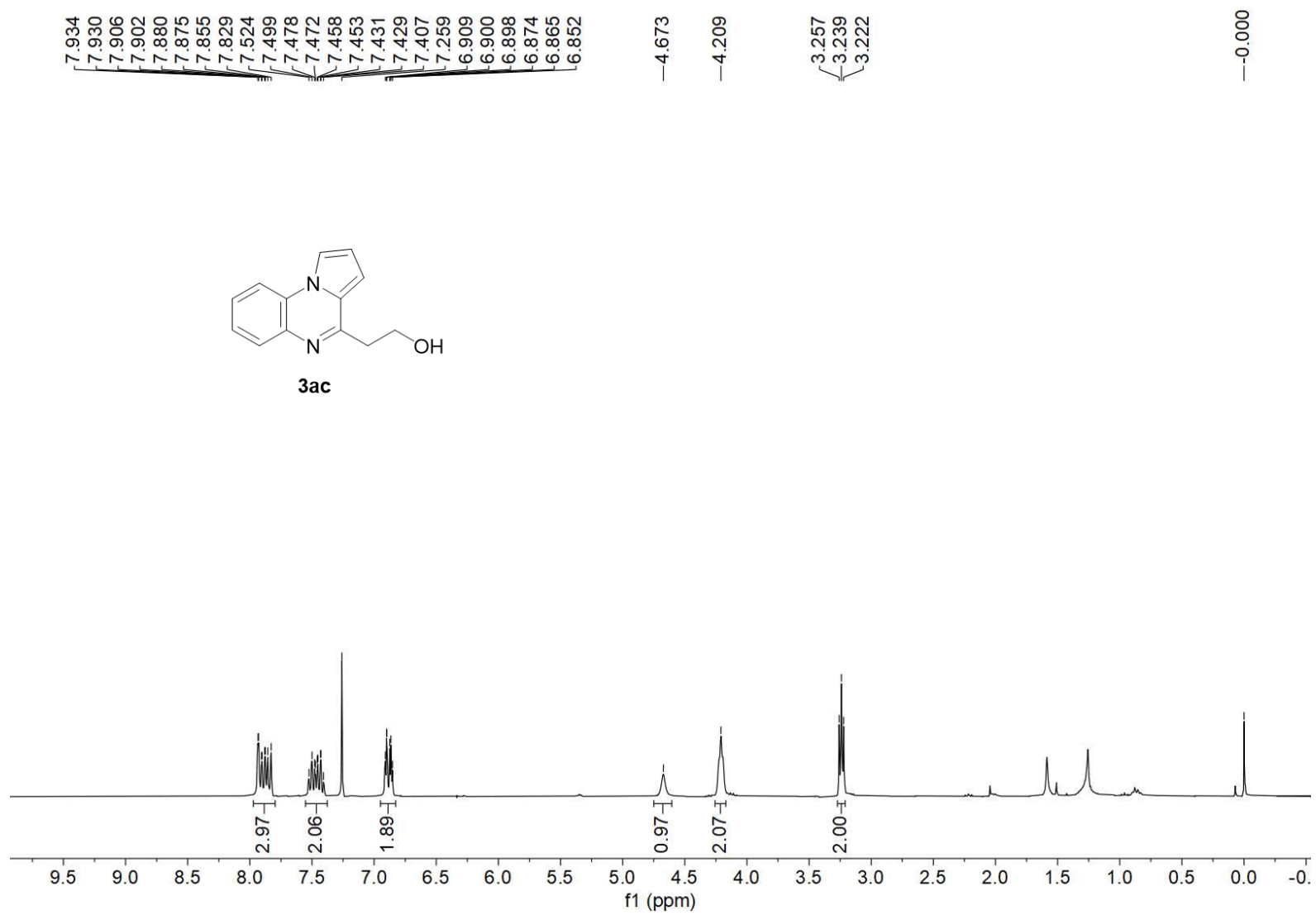


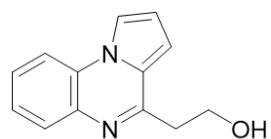




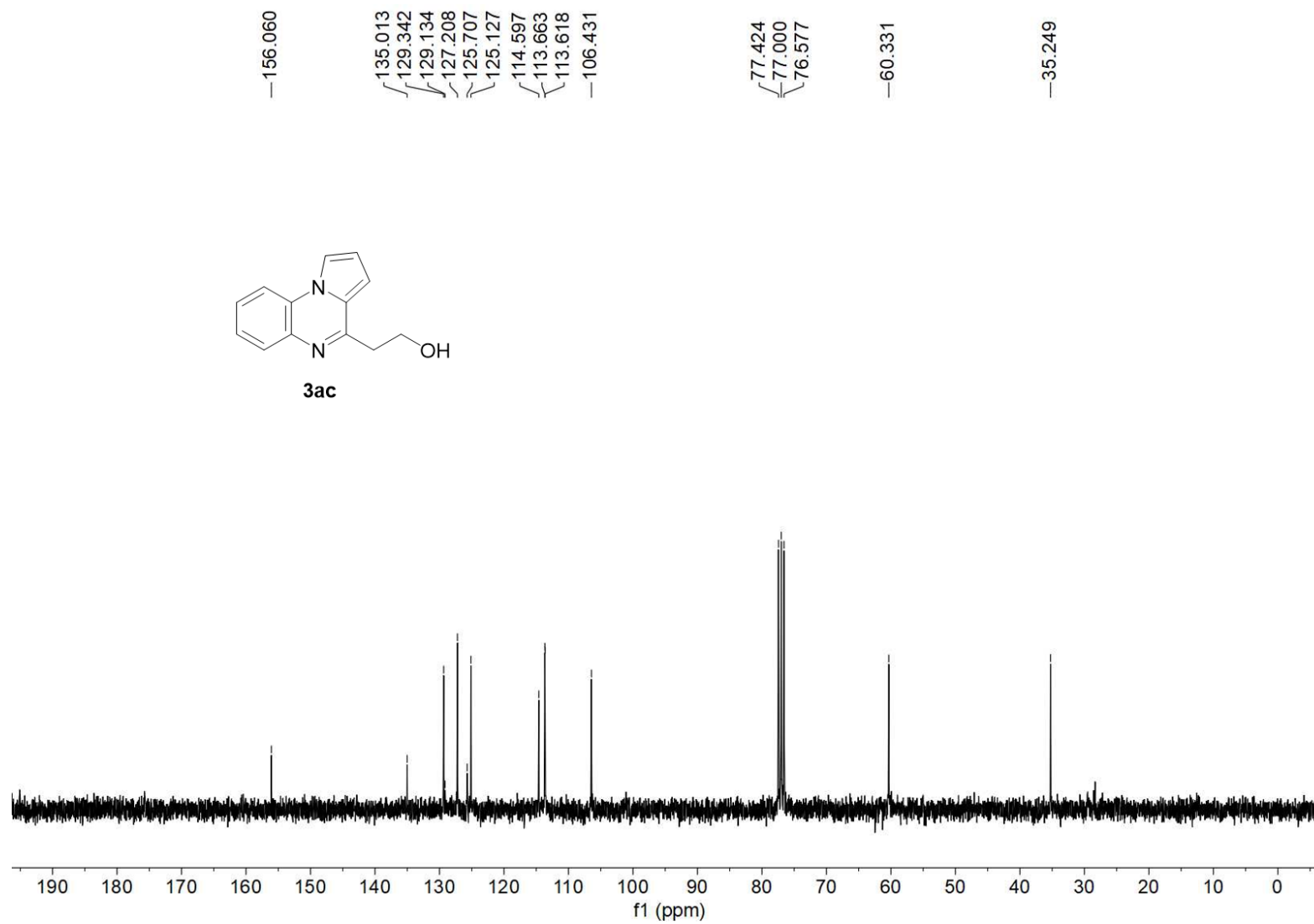


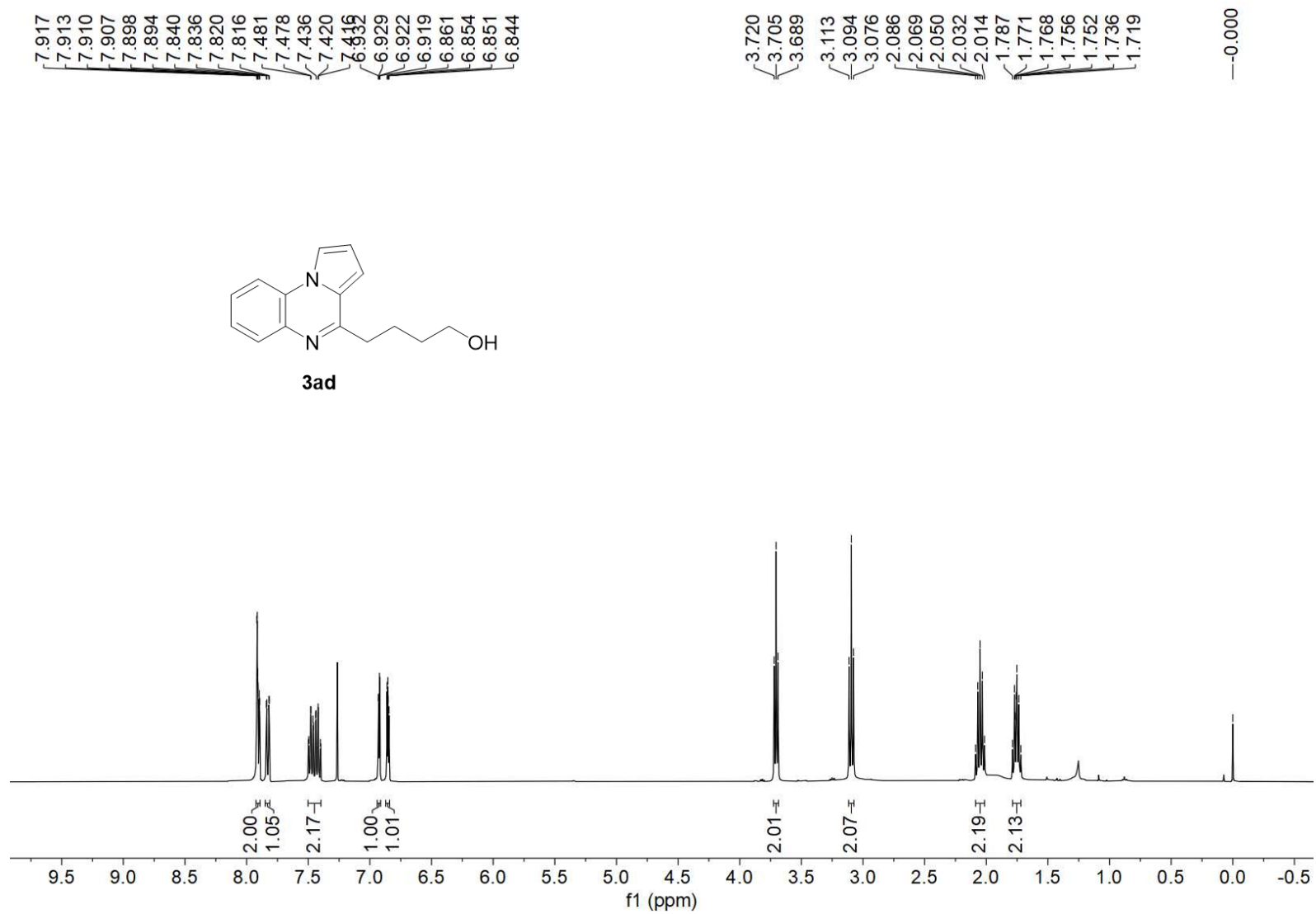


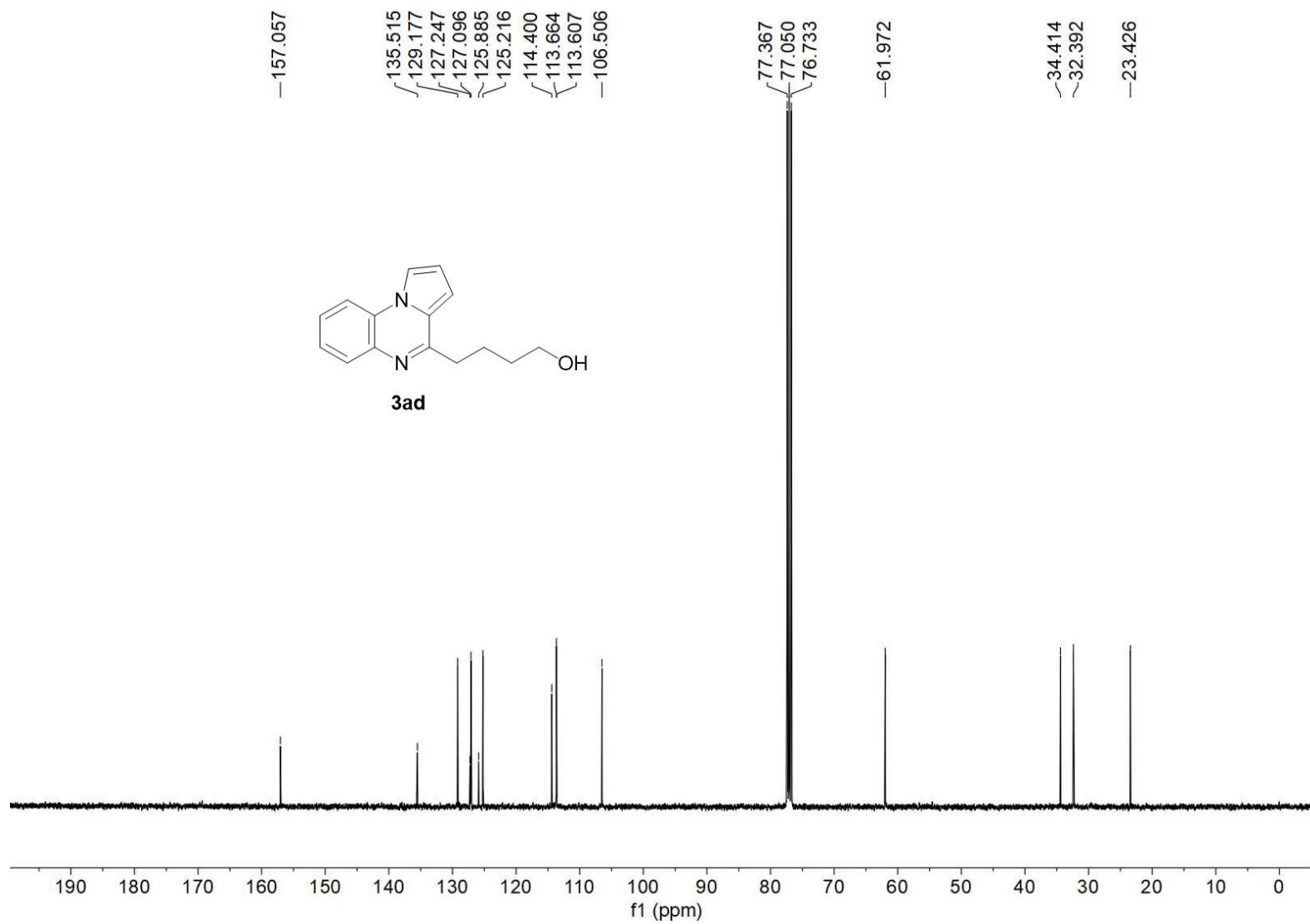


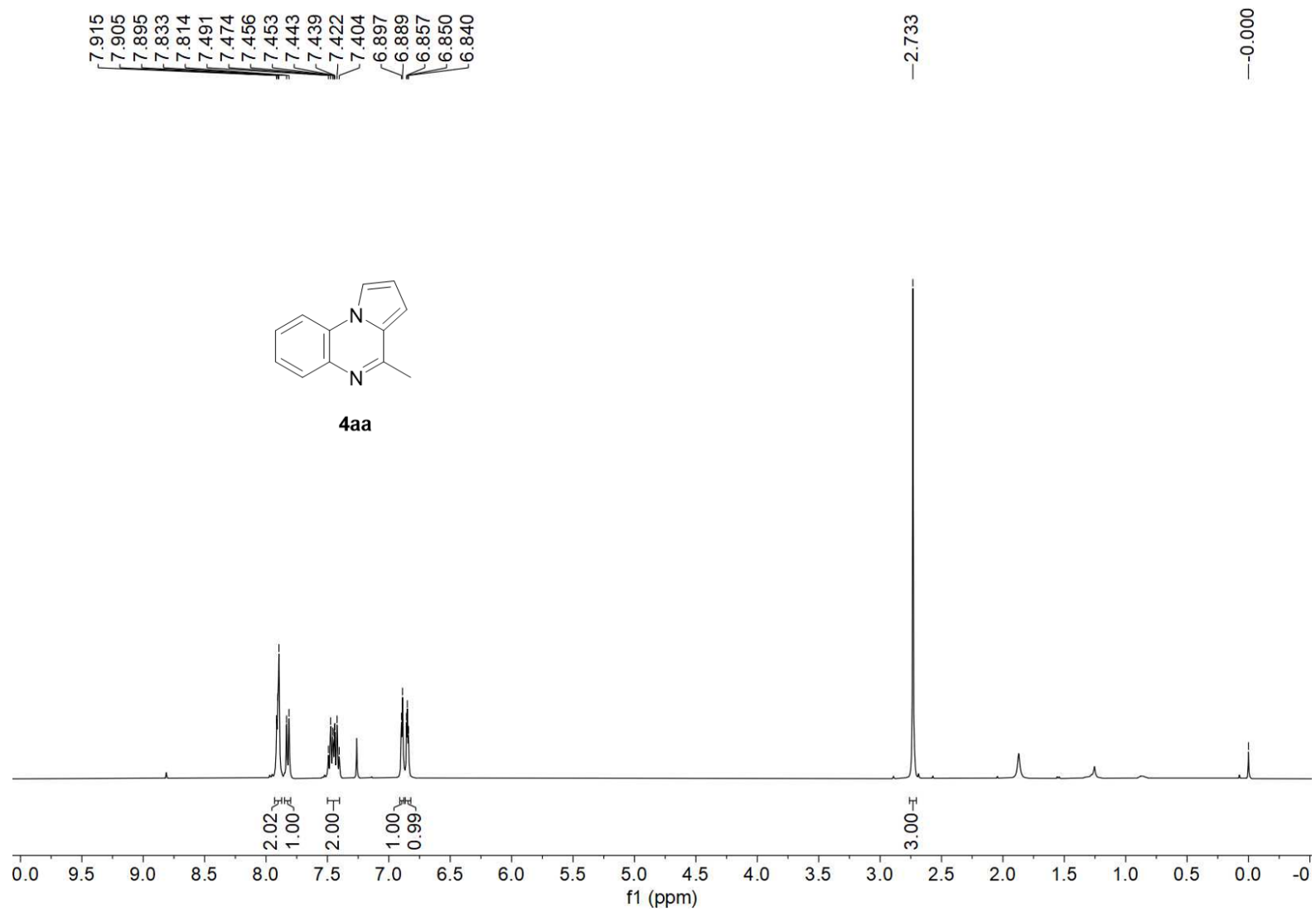


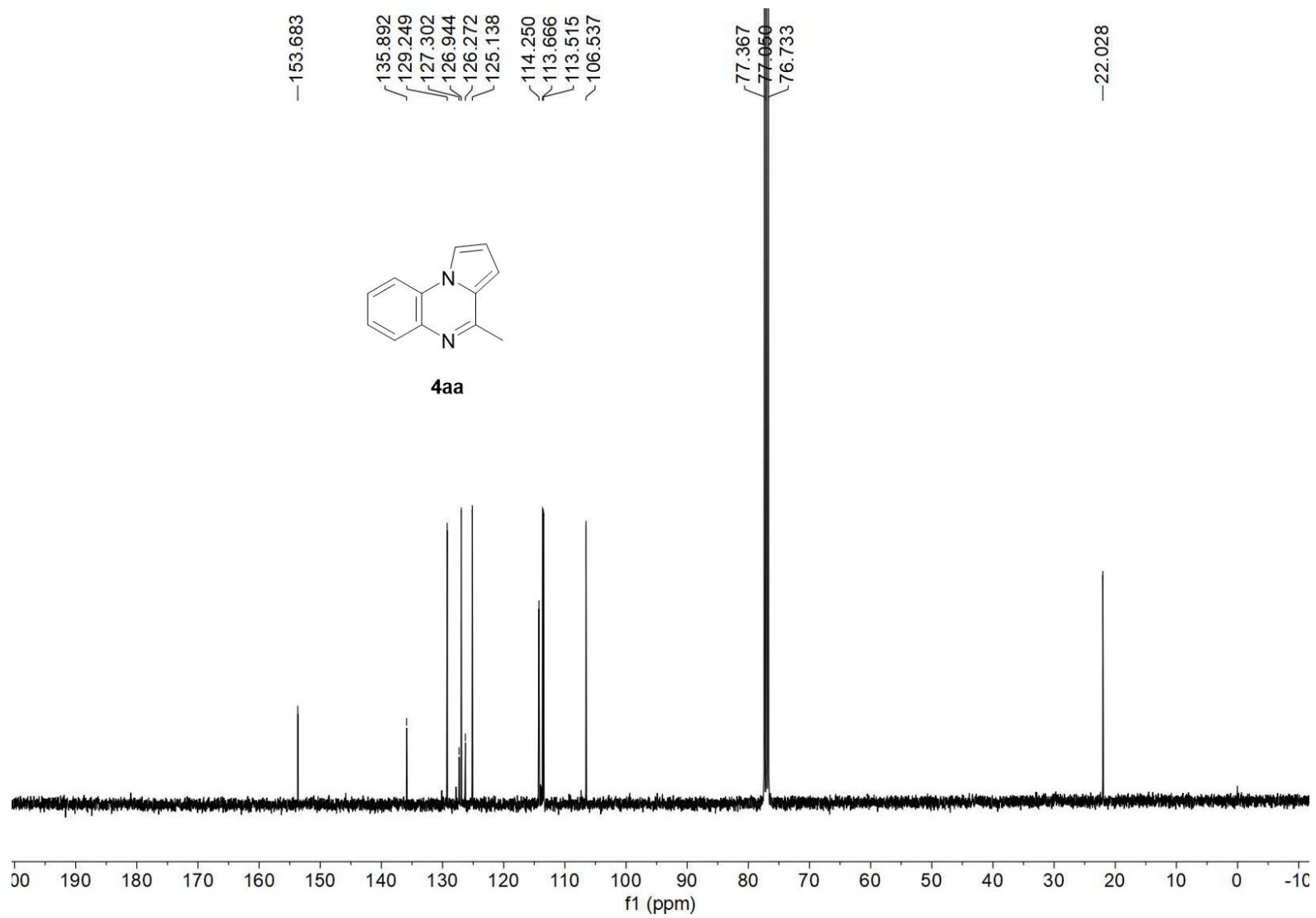
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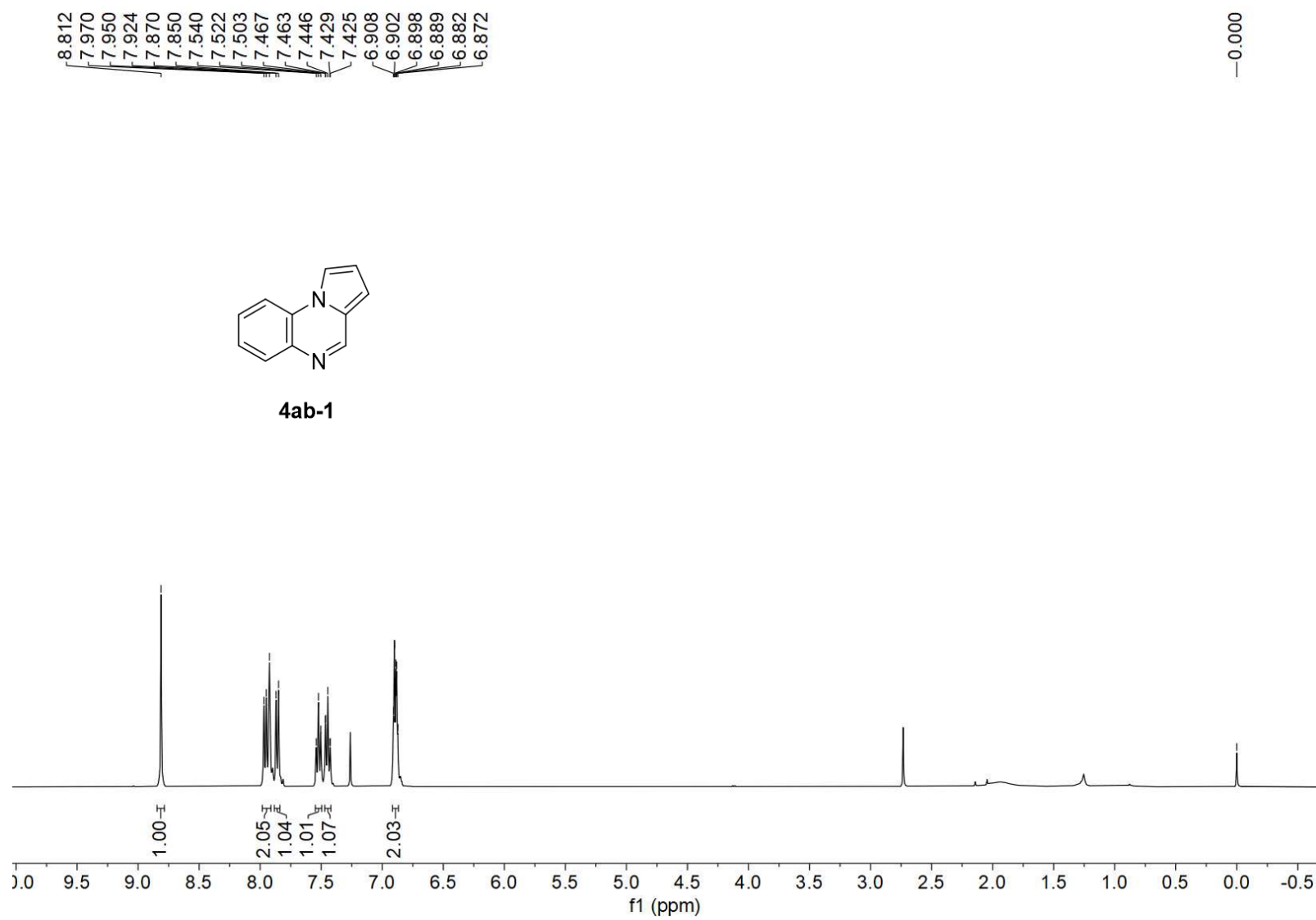


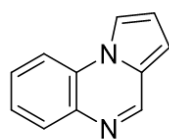












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