

Supporting Information for:

Remote C(sp³)-H heteroarylation of N-fluorocarboxamides with quinoxalin-2(1*H*)-ones under visible-light-induced photocatalyst-free conditions

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1. General Information

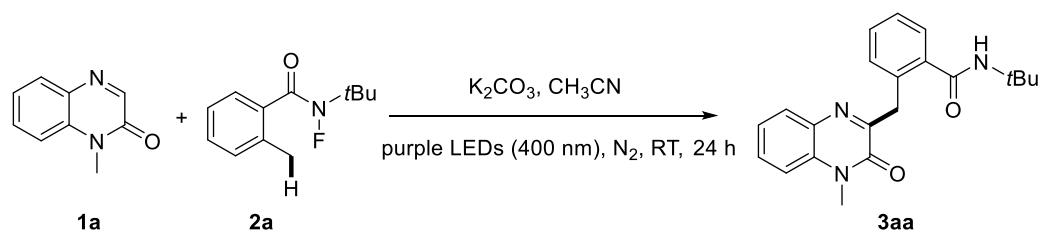
Flash column chromatography was performed using silica gel from Qingdao Haiyang. Anhydrous solvents [tetrahydrofuran (THF), 2-methyltetrahydrofuran (2-MeTHF), *N,N*-dimethylformamide (DMF), benzotrifluoride (PhCF₃), ethyl acetate (EtOAc), acetonitrile (CH₃CN), methanol (CH₃OH), dichloromethane (DCM), 1,2-dichloroethane (DCE), *N*-methyl-2-pyrrolidinone (NMP), toluene (PhMe), methylsulfoxide (DMSO), and 1,4-dioxane] were purchased from Adamas, Energy Chemicals, or Innochem, and used as received.

General Analytical Information

All new compounds were characterized by NMR spectroscopy, high-resolution mass spectroscopy, and melting point (if solids). NMR spectra were recorded on a Bruker Ascend™ 400 spectrometer and were calibrated using TMS or residual deuterated solvent as an internal reference (Chloroform-*d*: 7.26 ppm for ¹H NMR and 77.16 ppm for ¹³C NMR, DMSO-*d*₆: 2.50 ppm for ¹H NMR and 39.52 ppm for ¹³C NMR). HRMS spectra were recorded on a Waters Acquity UPLC/Xevo TQD MSMS. Melting points (Mp) were recorded on a MP450 melting point apparatus.

2. Reaction Optimization

Table S1. Effect of the dosage of substrates and base on this reaction^[a]



Entry	1a (mmol)	2a (mmol)	K ₂ CO ₃ (mmol)	Yield of 3aa (%) ^[b]
1	0.1	0.1	0.1	26
2	0.1	0.15	0.1	27
3	0.1	0.2	0.1	58
4	0.2	0.1	0.1	40
5	0.1	0.2	0.15	68
6	0.1	0.2	0.2	77

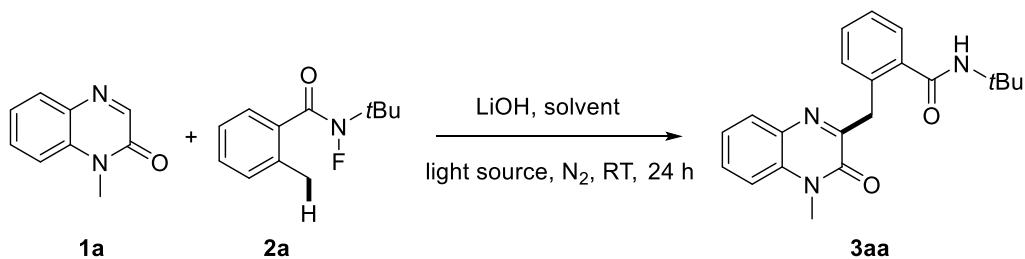
[a] Optimizations were performed in 1.0 mL CH₃CN irradiated with light from 24 W purple LEDs (400 nm) at room temperature for 24 h. [b] The yield was determined by crude ¹H NMR using CH₂Br₂ as internal standard.

Table S2. Effect of bases on this reaction^[a]

Entry	Base	Yield of 3aa (%) ^[b]
1	CsOAc	16
2	NaHCO ₃	58
3	Li ₂ CO ₃	9
4	Na ₂ CO ₃	57
5	Cs ₂ CO ₃	47
6	K ₃ PO ₄	45
7	LiOH	80
8	NaOH	12
9	CsF	60
10	KOtBu	17
11	DIPEA	5
12	DBU	39
13	NaHMDS	45
14	PhCOOK	30
15	-	0

[a] Optimizations were performed on 0.1 mmol scale using **1a** (0.1 mmol, 1 equiv.), **2a** (2 equiv.), base (2 equiv.), CH₃CN (1 mL), and 24 W purple LEDs (400 nm) over a period of 24 h. [b] The yield was determined by crude ¹H NMR using CH₂Br₂ as internal standard.

Table S3. Effect of solvents and light source on this reaction^[a]



Entry	Light source	Solvent	Yield of 3aa (%) ^[b]
1	400 nm	THF	54
2	400 nm	2-MeTHF	32
3	400 nm	DCM	75
4	400 nm	DCE	54
5	400 nm	MeOH	57
6	400 nm	EtOAc	11
7	400 nm	PhCH ₃	80

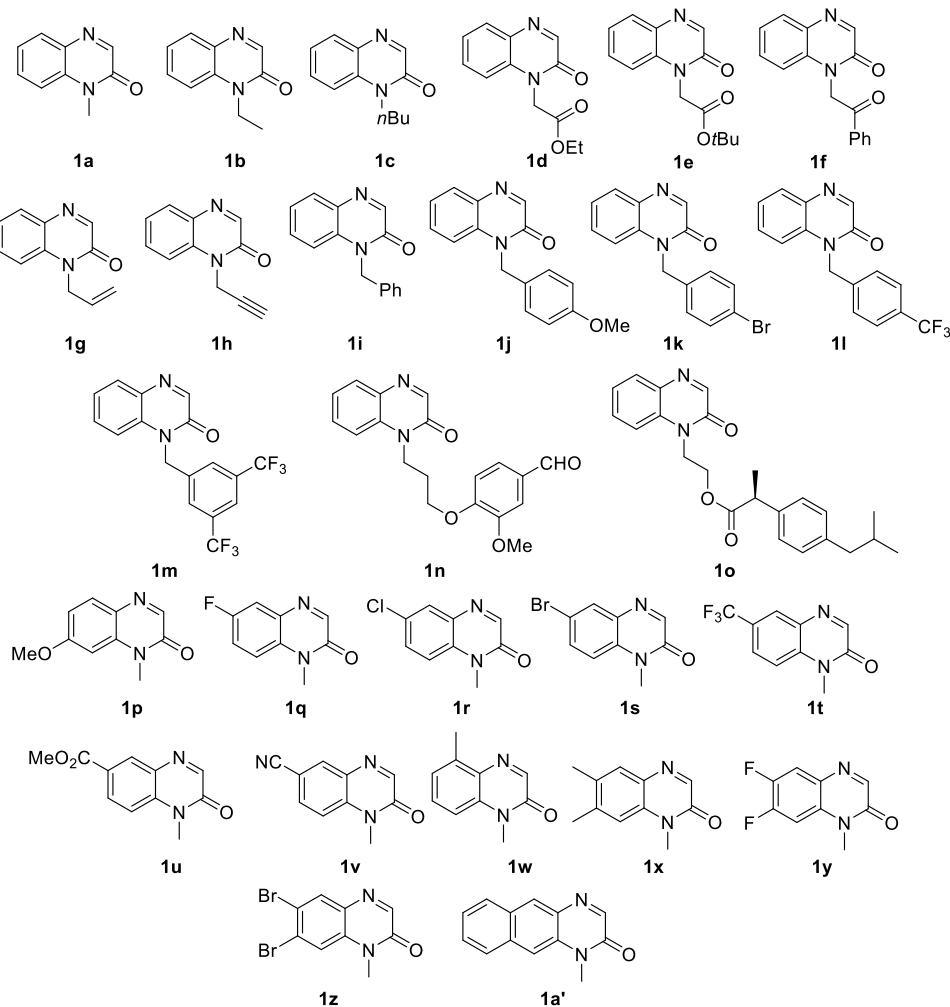
8	400 nm	PhCF ₃	21
9	400 nm	DMF	0
10	400 nm	NMP	40
11	400 nm	1,4-dioxane	85
12	400 nm	DMSO	83
13	365 nm	1,4-dioxane	57
14	460 nm	1,4-dioxane	trace
15	white LEDs	1,4-dioxane	0
16	dark conditions	1,4-dioxane	0

[a] Optimizations were performed on 0.1 mmol scale using **1a** (1 equiv.), **2a** (2 equiv.), LiOH (2 equiv.), solvent (1 mL), and 24 W LEDs light over a period of 24 h. [b] The yield was determined by crude ¹H NMR using CH₂Br₂ as internal standard.

3. Product Synthesis and Characterization

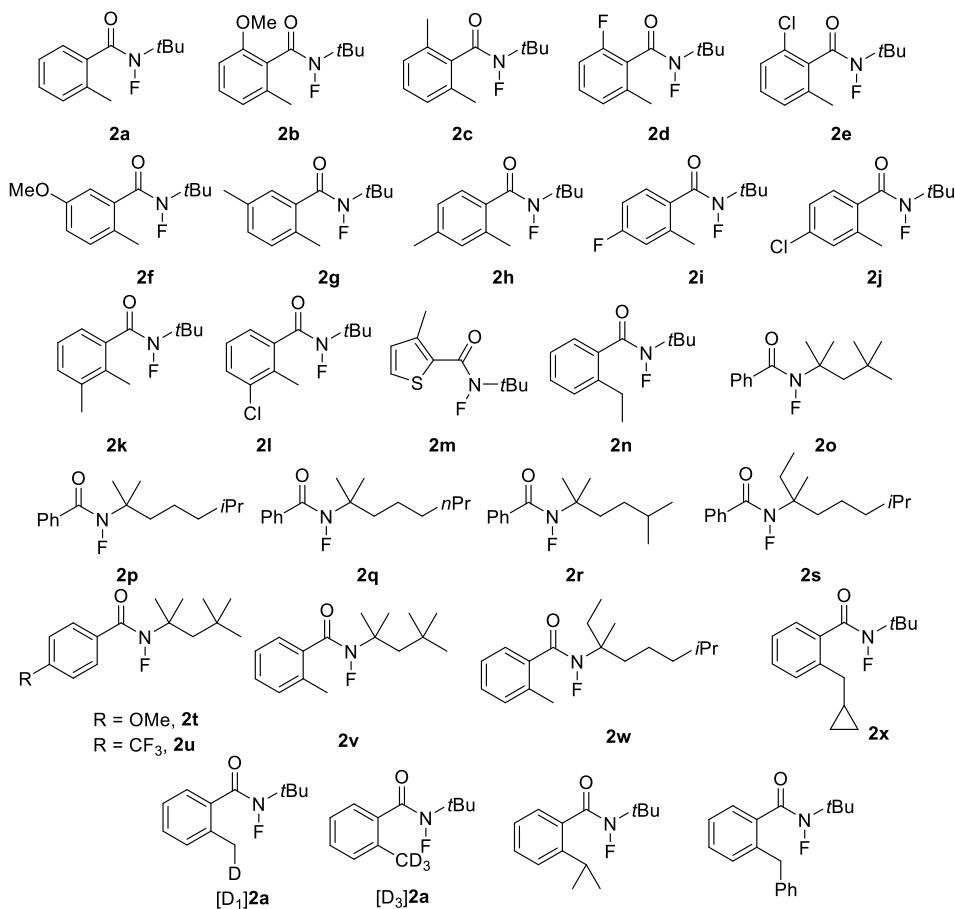
3.1 List of Substrates

List of quinoxalin-2(1*H*)-ones



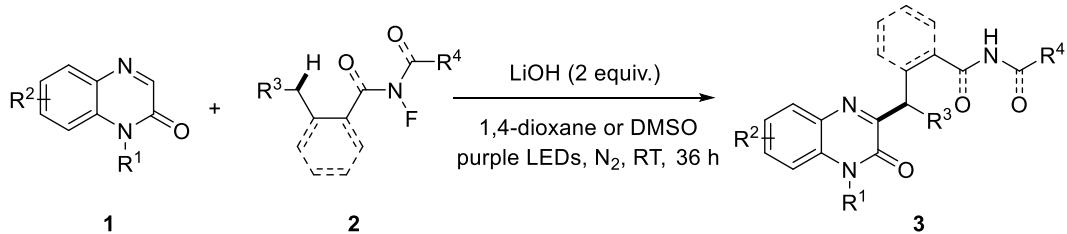
All the quinoxalin-2(1*H*)-ones were synthesized according to the reported procedure.¹

List of N-fluoroamides



All the *N*-fluoroamides were synthesized according to the reported procedure.^{2,3}

3.2 General procedure for the visible-light-promoted remote C(sp³)-H heteroarylation of *N*-fluoroamides



General Procedure A:

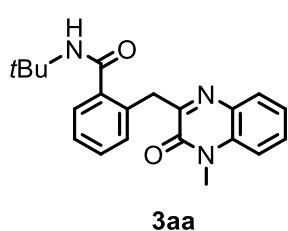
To an oven-dried quartz vial, quinoxalin-2(1*H*)-one **1** (0.1 mmol, 1.0 equiv.) and LiOH (0.2 mmol, 2.0 equiv.) were added sequentially. The vial was charged with a stir bar and transferred to a glovebox, where the solids were backfilled with an inert atmosphere. In the glovebox, *N*-fluoroamide **2** (0.2 mmol, 2.0 equiv.) was added into the vial, followed by 1,4-dioxane (1.0 mL). The vial was sealed with a rubber plug, removed from the glove box, and irradiated and stir by 24 W 400 nm LEDs at room temperature for 36 h. After removal of solvents, the crude mixture was purified by flash chromatography (petroleum ether/ethyl acetate) to afford the pure products **3aa**, **3ba**, **3ea**, **3ga-3la**, **3na-3a'a**, **3ab-3au**, **3co**, **3fo**, **3jo**, **3mo**, **3po**, **3qo**, **3vo**, **3wo**, **3xo**, **3pp**, **3qp**, **3wp**, **3xp**.

General Procedure B:

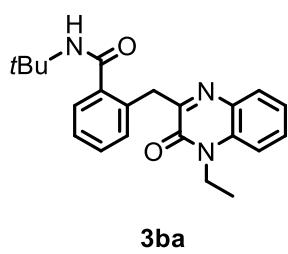
To an oven-dried quartz vial, quinoxalin-2(1*H*)-one **1** (0.1 mmol, 1.0 equiv.) and LiOH (0.2 mmol, 2.0 equiv.) were added sequentially. The vial was charged with a stir bar and transferred to a glovebox, where the solids were backfilled with an inert atmosphere. In the glovebox, *N*-fluoroamide **2** (0.2 mmol, 2.0 equiv.) was added into the vial, followed by DMSO (1.0 mL). The vial was sealed with a rubber plug, removed from the glove box, and irradiated and stir by 24 W 400 nm LEDs at room temperature for 36 h. Upon completion, water (3 mL) was added to the mixture and subsequently the mixture was extracted with CH₂Cl₂ (3 × 3 mL). The combined organic extracts were washed with brine (3 × 3 mL), dried with anhydrous MgSO₄, and concentrated under vacuum. The crude mixture was purified by flash chromatography (petroleum ether/ethyl acetate) to afford the pure products **3ca**, **3da**, **3fa**, **3ma**.

Picture of the reaction photo set-up

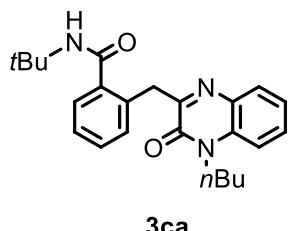




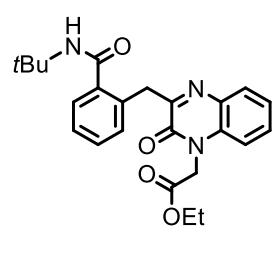
N-(Tert-butyl)-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3aa). General Procedure A was used to prepare the desired product **3aa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3aa** as a pale yellow solid (28.1 mg, 0.081 mmol, 81%); **Mp:** 73.2–74.8 °C. **1H NMR (400 MHz, Chloroform-d)** δ: 8.04 (s, 1H), 7.83 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.61–7.52 (m, 2H), 7.41–7.28 (m, 2H), 7.25–7.18 (m, 3H), 4.44 (s, 2H), 3.69 (s, 3H), 1.46 (s, 9H). **13C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.3, 154.9, 139.0, 133.3, 133.2, 132.5, 130.3, 129.8, 129.3, 129.3, 128.8, 127.0, 123.9, 113.8, 51.8, 37.3, 29.3, 28.9. **HRMS (DART-TOF)** calculated for $C_{21}H_{24}N_3O_2^+ [M+H]^+$ m/z 350.1863, found 350.1863.



N-(Tert-butyl)-2-((4-ethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ba). General Procedure A was used to prepare the desired product **3ba**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ba** as a pale yellow solid (17.8 mg, 0.049 mmol, 49%); **Mp:** 164.5–165.8 °C. **1H NMR (400 MHz, Chloroform-d)** δ: 8.10 (s, 1H), 7.90–7.76 (m, 1H), 7.62–7.52 (m, 2H), 7.39–7.32 (m, 2H), 7.26–7.18 (m, 3H), 4.44 (s, 2H), 4.31 (d, *J* = 7.2 Hz, 2H), 1.45 (s, 9H), 1.36 (s, 3H). **13C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.4, 154.4, 138.9, 133.4, 132.8, 132.1, 130.3, 130.0, 129.3, 129.3, 128.9, 126.9, 123.7, 113.6, 51.7, 37.6, 37.3, 28.9, 12.4. **HRMS (DART-TOF)** calculated for $C_{22}H_{26}N_3O_2^+ [M+H]^+$ m/z 364.2020, found 364.2022.

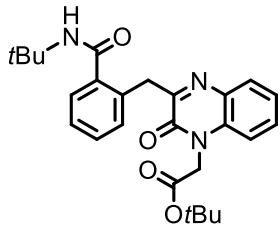


N-(Tert-butyl)-2-((4-butyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ca). General Procedure B was used to prepare the desired product **3ca**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ca** as a pale yellow solid (35.9 mg, 0.092 mmol, 92%); **Mp:** 115.2–116.4 °C. **1H NMR (400 MHz, Chloroform-d)** δ: 8.11 (s, 1H), 7.83 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.56 (ddd, *J* = 13.1, 7.4, 2.0 Hz, 2H), 7.34 (td, *J* = 8.4, 2.5 Hz, 2H), 7.25–7.18 (m, 3H), 4.44 (s, 2H), 4.23 (s, 2H), 1.72 (s, 2H), 1.45 (s, 11H), 0.98 (t, *J* = 7.4 Hz, 3H). **13C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.3, 154.6, 138.9, 133.4, 132.8, 132.4, 130.2, 130.0, 129.3, 129.3, 128.9, 126.9, 123.7, 113.8, 51.7, 42.3, 37.4, 29.3, 28.9, 20.2, 13.8. **HRMS (DART-TOF)** calculated for $C_{24}H_{30}N_3O_2^+ [M+H]^+$ m/z 392.2333, found 392.2343.



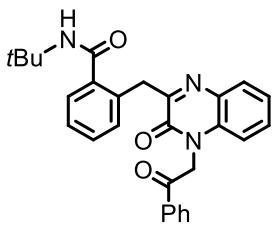
Ethyl 2-(3-(2-(tert-butylcarbamoyl)benzyl)-2-oxoquinoxalin-1(2H-yl)acetate (3da). General Procedure B was used to prepare the desired product **3da**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3da** as a yellow oil (31.0 mg, 0.074 mmol, 74%). **1H NMR (400 MHz, Chloroform-d)** δ: 7.86–7.77 (m, 2H), 7.57–7.50 (m, 2H), 7.38–7.33 (m, 1H), 7.26–7.24 (m, 1H), 7.22 (s, 1H), 7.09 (dd, *J* = 8.4, 1.2 Hz, 1H), 5.00 (s, 2H), 4.46 (s, 2H), 4.23 (d, *J* = 7.1 Hz, 2H), 1.43 (s, 9H), 1.25 (t, *J* = 7.1 Hz, 3H). **13C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.3, 154.6, 138.9, 133.4, 132.8, 132.4, 130.2, 130.0, 129.3, 129.3, 128.9, 126.9, 123.7, 113.8, 51.7, 42.3, 37.4, 29.3, 28.9, 20.2, 13.8. **HRMS (DART-TOF)** calculated for $C_{24}H_{30}N_3O_2^+ [M+H]^+$ m/z 392.2333, found 392.2343.

NMR (101 MHz, Chloroform-*d*) δ: 169.2, 166.8, 159.2, 154.5, 139.0, 133.2, 132.5, 132.3, 130.5, 130.1, 129.5, 129.4, 128.7, 127.0, 124.2, 113.2, 62.1, 51.8, 43.7, 37.3, 28.8, 14.1. **HRMS (DART-TOF)** calculated for C₂₄H₂₈N₃O₄⁺ [M+H]⁺ m/z 422.2074, found 422.2076.



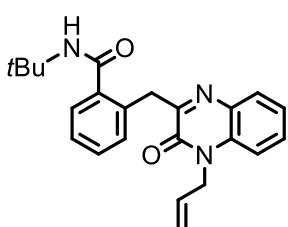
3ea

Tert-butyl 2-(3-(tert-butylcarbamoyl)benzyl)-2-oxoquinoxalin-1(2*H*)-ylacetate (3ea). General Procedure A was used to prepare the desired product **3ea**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ea** as a pale yellow solid (19.2 mg, 0.043 mmol, 43%); **Mp:** 158.9-161.4 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.93 (s, 1H), 7.84 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.54 (d, *J* = 8.8 Hz, 2H), 7.36 (s, 1H), 7.26-7.22 (m, 2H), 7.21-7.18 (m, 1H), 7.10 (dd, *J* = 8.5, 1.2 Hz, 1H), 4.91 (s, 2H), 4.45 (s, 2H), 1.44 (s, 9H), 1.43 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.2, 165.8, 159.2, 154.5, 139.0, 133.2, 132.5, 132.4, 130.4, 130.1, 129.4, 129.3, 128.8, 127.0, 124.1, 113.3, 83.3, 51.8, 44.4, 37.3, 28.9, 27.9. **HRMS (DART-TOF)** calculated for C₂₆H₃₂N₃O₄⁺ [M+H]⁺ m/z 450.2387, found 450.2390.



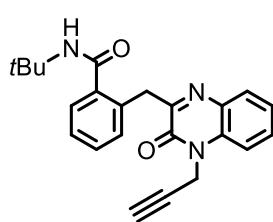
3fa

N-(Tert-butyl)-2-((3-oxo-4-(2-oxo-2-phenylethyl)-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3fa). General Procedure B was used to prepare the desired product **3fa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3fa** as a pale yellow solid (43.8 mg, 0.097 mmol, 97%); **Mp:** 214.3-215.9 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 8.11-7.99 (m, 2H), 7.90-7.78 (m, 2H), 7.67 (d, *J* = 7.5 Hz, 1H), 7.59-7.52 (m, 3H), 7.48-7.43 (m, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.25-7.22 (m, 2H), 6.98 (d, *J* = 8.4 Hz, 1H), 5.71 (s, 2H), 4.47 (s, 2H), 1.42 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 190.8, 169.1, 159.1, 154.7, 138.9, 134.5, 134.4, 133.3, 132.6, 132.6, 130.4, 130.0, 129.5, 129.4, 129.1, 128.7, 128.1, 127.0, 124.1, 113.7, 51.8, 48.6, 37.3, 28.8. **HRMS (DART-TOF)** calculated for C₂₈H₂₈N₃O₃⁺ [M+H]⁺ m/z 454.2125, found 454.2131.



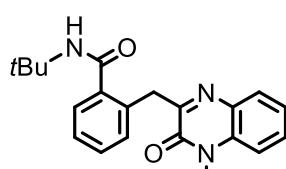
3ga

2-((4-allyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)-N-(Tert-butyl)benzamide (3ga). General Procedure A was used to prepare the desired product **3ga**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ga** as a pale yellow solid (15.5 mg, 0.041 mmol, 41%); **Mp:** 127.8-129.5 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.98 (s, 1H), 7.83 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.58-7.49 (m, 2H), 7.37-7.28 (m, 2H), 7.26-7.18 (m, 3H), 5.90 (ddd, *J* = 17.2, 10.4, 5.2 Hz, 1H), 5.30-5.25 (m, 1H), 5.18-5.10 (m, 1H), 4.89 (dt, *J* = 5.2, 1.8 Hz, 2H), 4.46 (s, 2H), 1.44 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.2, 159.4, 154.5, 139.0, 133.4, 132.6, 132.4, 130.4, 130.2, 129.9, 129.3, 128.8, 127.0, 123.9, 118.3, 114.3, 51.8, 44.7, 37.4, 28.9. **HRMS (DART-TOF)** calculated for C₂₃H₂₆N₃O₂⁺ [M+H]⁺ m/z 376.2020, found 376.2028.



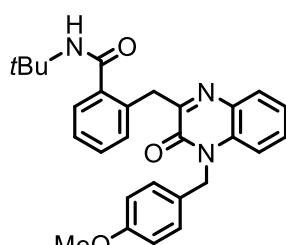
3ha

***N*-(*Tert*-butyl)-2-((3-oxo-4-(prop-2-yn-1-yl)-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ha).** General Procedure A was used to prepare the desired product **3ha**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ha** as a pale yellow solid (10.9 mg, 0.029 mmol, 29%); **Mp:** 175.4–176.9 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.83 (dd, *J* = 8.0, 1.5 Hz, 2H), 7.62–7.52 (m, 2H), 7.48 (dd, *J* = 8.5, 1.3 Hz, 1H), 7.38 (ddd, *J* = 8.2, 7.2, 1.2 Hz, 1H), 7.23 (dt, *J* = 8.5, 1.7 Hz, 2H), 5.04 (d, *J* = 2.5 Hz, 2H), 4.45 (s, 2H), 2.29 (s, 1H), 1.44 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.2, 159.3, 153.9, 138.9, 133.3, 132.6, 131.6, 130.4, 129.9, 129.5, 129.4, 128.7, 127.0, 124.3, 114.3, 76.5, 73.5, 51.8, 37.3, 31.7, 28.9. **HRMS (DART-TOF)** calculated for C₂₃H₂₄N₃O₂⁺ [M+H]⁺ m/z 374.1863, found 374.1870.



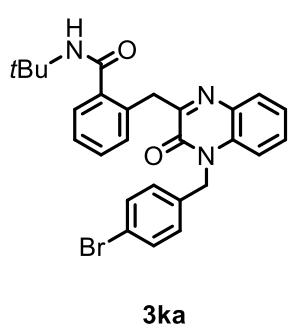
3ia

2-((4-benzyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)-*N*-(*Tert*-butyl)benzamide (3ia). General Procedure A was used to prepare the desired product **3ia**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ia** as a pale yellow solid (18.9 mg, 0.044 mmol, 44%); **Mp:** 134.4–136.0 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.95 (s, 1H), 7.86–7.79 (m, 1H), 7.61–7.54 (m, 1H), 7.44 (ddd, *J* = 8.6, 7.4, 1.6 Hz, 1H), 7.30 (dddd, *J* = 11.2, 6.6, 4.8, 2.0 Hz, 6H), 7.28–7.17 (m, 4H), 5.48 (s, 2H), 4.50 (s, 2H), 1.43 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.2, 159.5, 155.0, 139.0, 135.0, 133.4, 132.8, 132.5, 130.3, 129.9, 129.3, 129.3, 129.0, 128.8, 127.8, 127.0, 126.9, 124.0, 114.6, 51.8, 46.1, 37.6, 28.9. **HRMS (DART-TOF)** calculated for C₂₇H₂₈N₃O₂⁺ [M+H]⁺ m/z 426.2176, found 426.2181.



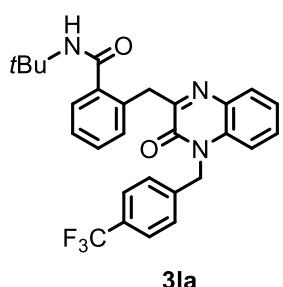
3ja

***N*-(*Tert*-butyl)-2-((4-(4-methoxybenzyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ja).** General Procedure A was used to prepare the desired product **3ja**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ja** as a pale yellow solid (16.7 mg, 0.037 mmol, 37%); **Mp:** 154.8–156.6 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.99 (s, 1H), 7.82 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.62–7.54 (m, 1H), 7.50–7.40 (m, 1H), 7.35–7.27 (m, 3H), 7.26–7.22 (m, 2H), 7.19–7.14 (m, 2H), 6.84–6.80 (m, 2H), 5.41 (s, 2H), 4.49 (s, 2H), 3.76 (s, 3H), 1.44 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.2, 159.5, 159.2, 155.0, 139.0, 133.4, 132.8, 132.5, 130.2, 129.9, 129.3, 129.3, 128.8, 128.4, 127.1, 127.0, 123.9, 114.6, 114.3, 55.3, 51.8, 45.6, 37.5, 28.9. **HRMS (DART-TOF)** calculated for C₂₈H₃₀N₃O₃⁺ [M+H]⁺ m/z 456.2282, found 456.2293.



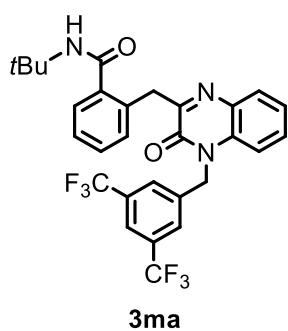
3ka

2-((4-(4-Bromobenzyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)-N-(Tert-butyl)benzamide (3ka). General Procedure A was used to prepare the desired product **3ka**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ka** as a pale yellow solid (28.7 mg, 0.057 mmol, 57%); **Mp:** 74.2-76.1 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 7.82 (d, *J* = 1.5 Hz, 2H), 7.59-7.53 (m, 1H), 7.42 (d, *J* = 8.4 Hz, 3H), 7.26 (d, *J* = 3.0 Hz, 3H), 7.25-7.19 (m, 2H), 7.12-7.04 (m, 2H), 5.42 (s, 2H), 4.50 (s, 2H), 1.42 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.5, 154.9, 138.9, 134.1, 133.4, 132.8, 132.2, 132.1, 130.3, 130.1, 129.4, 129.4, 128.8, 128.7, 127.0, 124.1, 121.8, 114.3, 51.8, 45.6, 37.5, 28.9. **HRMS (DART-TOF)** calculated for C₂₇H₂₇BrN₃O₂⁺ [M+H]⁺ m/z 504.1281, found 504.1287.



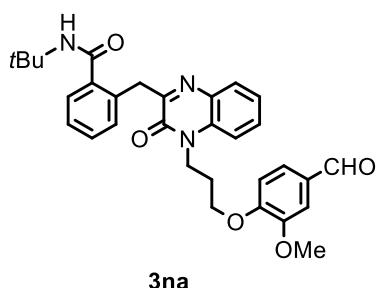
3la

N-(Tert-butyl)-2-((3-oxo-4-(4-(trifluoromethyl)benzyl)-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3la). General Procedure A was used to prepare the desired product **3la**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3la** as a pale yellow solid (31.4 mg, 0.064 mmol, 64%); **Mp:** 99.5-101.3 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 7.84 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.73 (s, 1H), 7.60-7.52 (m, 3H), 7.48-7.43 (m, 1H), 7.36-7.26 (m, 6H), 7.18 (dd, *J* = 8.4, 1.2 Hz, 1H), 5.52 (s, 2H), 4.51 (s, 2H), 1.42 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.5, 154.9, 139.1, 138.9, 133.4, 132.8, 132.2, 130.4, 130.2 (q, *J* = 32.6 Hz), 130.1, 129.4, 129.4, 128.7, 127.2, 127.1, 126.0 (q, *J* = 3.8 Hz), 124.2, 123.9 (q, *J* = 272.1 Hz), 114.2, 51.8, 45.7, 37.5, 28.8. **¹⁹F NMR (376MHz, Chloroform-d)** δ: -62.7. **HRMS (DART-TOF)** calculated for C₂₈H₂₇F₃N₃O₂⁺ [M+H]⁺ m/z 494.2050, found 494.2052.

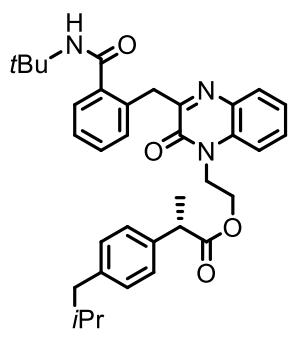


3ma

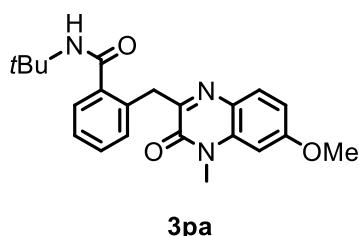
2-((4-(3,5-Bis(trifluoromethyl)benzyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)-N-(Tert-butyl)benzamide (3ma). General Procedure B was used to prepare the desired product **3ma**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ma** as a pale yellow solid (40.3 mg, 0.072 mmol, 72%); **Mp:** 146.2-147.6 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 7.89 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.81 (s, 1H), 7.65 (d, *J* = 7.7 Hz, 3H), 7.58-7.49 (m, 2H), 7.40-7.36 (m, 1H), 7.29-7.27 (m, 1H), 7.23-7.17 (m, 2H), 5.55 (s, 2H), 4.52 (s, 2H), 1.42 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.1, 159.5, 154.8, 138.9, 137.8, 133.2, 132.8, 132.5 (q, *J* = 33.6 Hz), 131.9, 130.6, 130.5, 129.4, 129.2, 128.7, 127.2 (q, *J* = 3.3 Hz), 127.1, 125.7 (q, *J* = 272.7 Hz), 124.5, 122.2 (q, *J* = 3.3 Hz), 113.5, 51.8, 45.4, 37.7, 28.8. **¹⁹F NMR (376MHz, Chloroform-d)** δ: -62.9. **HRMS (DART-TOF)** calculated for C₂₉H₂₆F₆N₃O₂⁺ [M+H]⁺ m/z 562.1924, found 562.1934.



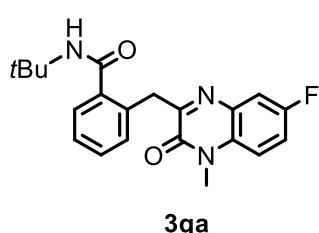
N-(Tert-butyl)-2-((4-(3-(4-formyl-2-methoxyphenoxy)propyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3na). General Procedure A was used to prepare the desired product **3na**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3na** as a white solid (18.8 mg, 0.036 mmol, 36%); **Mp:** 101.2–102.8 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 9.85 (s, 1H), 7.84–7.76 (m, 2H), 7.61 (d, *J* = 1.4 Hz, 1H), 7.52 (ddd, *J* = 8.3, 4.4, 1.5 Hz, 2H), 7.47–7.38 (m, 2H), 7.34 (s, 1H), 7.21 (ddd, *J* = 9.4, 7.3, 1.6 Hz, 2H), 7.09 (s, 1H), 6.98 (d, *J* = 8.2 Hz, 1H), 4.78 (t, *J* = 6.0 Hz, 2H), 4.50 (s, 2H), 4.27 (t, *J* = 6.2 Hz, 2H), 3.89 (s, 3H), 2.49 (t, *J* = 6.1 Hz, 2H), 1.32 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 190.9, 169.2, 155.4, 153.8, 149.9, 149.7, 139.8, 138.7, 138.1, 134.8, 130.2, 130.0, 129.6, 129.5, 128.2, 128.0, 126.9, 126.9, 126.8, 126.7, 111.7, 109.3, 65.8, 63.5, 56.0, 51.8, 35.5, 28.8, 28.6. **HRMS (DART-TOF)** calculated for C₃₁H₃₄N₃O₅⁺ [M+H]⁺ m/z 528.2493, found 528.2499.



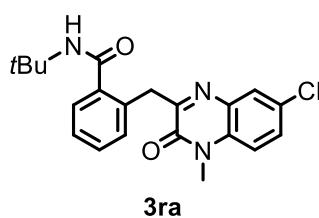
2-(3-(2-(Tert-butylcarbamoyl)benzyl)-2-oxoquinoxalin-1(2H)-yl)ethyl (S)-2-(4-isobutylphenyl)propanoate (3oa). General Procedure A was used to prepare the desired product **3oa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3oa** as a pale yellow solid (28.5 mg, 0.050 mmol, 50%); **Mp:** 155.1–156.5 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 7.93 (s, 1H), 7.82 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.55 (s, 1H), 7.49 (s, 1H), 7.36 (dd, *J* = 8.1, 6.4 Hz, 2H), 7.25 (d, *J* = 8.3 Hz, 3H), 7.03 (s, 4H), 4.43 (s, 2H), 4.43 (s, 2H), 4.36 (ddd, *J* = 15.5, 10.3, 3.6 Hz, 2H), 3.46 (d, *J* = 7.2 Hz, 1H), 2.42 (d, *J* = 7.1 Hz, 2H), 1.83 (s, 1H), 1.44 (s, 9H), 1.36 (d, *J* = 7.2 Hz, 3H), 0.89 (d, *J* = 6.6 Hz, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 174.6, 169.2, 159.1, 154.8, 140.7, 139.0, 137.2, 133.3, 132.7, 132.6, 130.3, 130.0, 129.4, 129.3, 129.3, 128.8, 127.0, 127.0, 124.0, 113.9, 60.9, 51.8, 45.0, 44.9, 41.1, 37.3, 30.2, 28.9, 22.4, 18.3. **HRMS (DART-TOF)** calculated for C₃₅H₄₂N₃O₄⁺ [M+H]⁺ m/z 568.3170, found 568.3180.



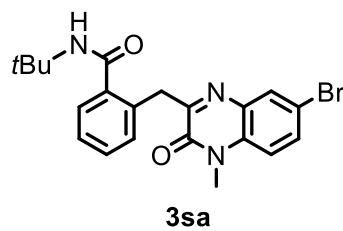
N-(Tert-butyl)-2-((6-methoxy-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3pa). General Procedure A was used to prepare the desired product **3pa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3pa** as a pale yellow solid (24.7 mg, 0.065 mmol, 65%); **Mp:** 172.7–174.4 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.12 (s, 1H), 7.60–7.53 (m, 1H), 7.31 (d, *J* = 2.8 Hz, 1H), 7.24 (d, *J* = 3.2 Hz, 2H), 7.23–7.15 (m, 3H), 4.43 (s, 2H), 3.88 (s, 3H), 3.68 (s, 3H), 1.46 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.8, 156.2, 154.5, 139.0, 133.3, 129.3, 129.2, 128.9, 127.4, 127.0, 119.5, 114.7, 111.3, 55.8, 51.8, 37.7, 29.4, 28.9. **HRMS (DART-TOF)** calculated for C₂₂H₂₆N₃O₃⁺ [M+H]⁺ m/z 380.1969, found 380.1975.



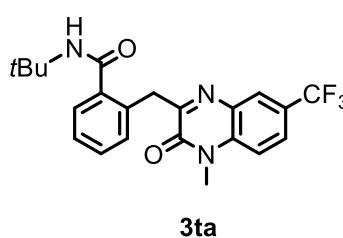
N-(Tert-butyl)-2-((7-fluoro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3qa). General Procedure A was used to prepare the desired product **3qa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3qa** as a pale yellow solid (36.0 mg, 0.098 mmol, 98%); **Mp:** 156.4–157.6 °C. **¹H NMR** (400 MHz, Chloroform-*d*) δ 7.74 (s, 1H), 7.57–7.49 (m, 2H), 7.34–7.27 (m, 2H), 7.26–7.21 (m, 2H), 7.20 (s, 1H), 4.44 (s, 2H), 3.68 (s, 3H), 1.45 (s, 9H). **¹³C NMR** (101 MHz, Chloroform-*d*) δ: 169.1, 160.9, 158.8 (d, *J* = 244.4 Hz), 154.5, 138.9, 133.1 (d, *J* = 10.6 Hz), 133.1, 129.8 (d, *J* = 2.2 Hz), 129.4, 129.3, 128.7, 127.0, 118.0 (d, *J* = 24.0 Hz), 115.2 (d, *J* = 22.6 Hz), 114.9 (d, *J* = 8.8 Hz), 51.8, 37.5, 29.5, 28.9. **¹⁹F NMR** (376 MHz, Chloroform-*d*) δ: -118.4. **HRMS (DART-TOF)** calculated for C₂₁H₂₃FN₃O₂⁺ [M+H]⁺ m/z 368.1769, found 368.1772.



N-(Tert-butyl)-2-((7-chloro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ra). General Procedure A was used to prepare the desired product **3ra**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ra** as a pale yellow solid (32.3 mg, 0.084 mmol, 84%); **Mp:** 175.6–177.6 °C. **¹H NMR** (400 MHz, Chloroform-*d*) δ: 7.82 (d, *J* = 2.4 Hz, 1H), 7.70 (s, 1H), 7.57–7.48 (m, 2H), 7.26 (s, 1H), 7.26–7.22 (m, 2H), 7.19–7.16 (m, 1H), 4.44 (s, 2H), 3.67 (s, 3H), 1.44 (s, 9H). **¹³C NMR** (101 MHz, Chloroform-*d*) δ: 169.1, 160.8, 154.5, 138.9, 133.1, 133.0, 131.9, 130.2, 129.4, 129.3, 129.2, 129.1, 128.7, 127.1, 114.9, 51.8, 37.5, 29.5, 28.9. **HRMS (DART-TOF)** calculated for C₂₁H₂₃ClN₃O₂⁺ [M+H]⁺ m/z 384.1473, found 384.1479.

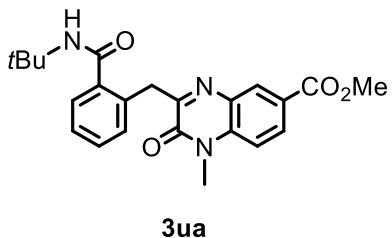


2-((7-Bromo-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)-N-(Tert-butyl)benzamide (3sa). General Procedure A was used to prepare the desired product **3sa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3sa** as a pale yellow solid (34.8 mg, 0.081 mmol, 81%); **Mp:** 169.3–170.7 °C. **¹H NMR** (400 MHz, Chloroform-*d*) δ: 7.97 (d, *J* = 2.3 Hz, 1H), 7.69–7.61 (m, 2H), 7.56–7.51 (m, 1H), 7.26–7.21 (m, 2H), 7.21–7.17 (m, 2H), 4.44 (s, 2H), 3.67 (s, 3H), 1.44 (s, 9H). **¹³C NMR** (101 MHz, Chloroform-*d*) δ: 169.1, 160.7, 154.5, 138.9, 133.3, 133.1, 133.0, 132.3, 132.2, 129.4, 129.3, 128.7, 127.1, 116.4, 115.2, 51.8, 37.5, 29.4, 28.8. **HRMS (DART-TOF)** calculated for C₂₁H₂₃BrN₃O₂⁺ [M+H]⁺ m/z 428.0968, found 428.0972.



N-(Tert-butyl)-2-((4-methyl-3-oxo-7-(trifluoromethyl)-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ta). General Procedure A was used to prepare the desired product **3ta**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ta** as a pale yellow solid (35.4 mg, 0.085 mmol, 85%); **Mp:** 178.4–

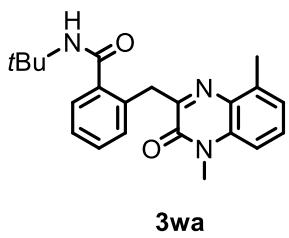
180.3 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.09 (d, *J* = 2.1 Hz, 1H), 7.77 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.57-7.49 (m, 1H), 7.47-7.36 (m, 2H), 7.29-7.27 (m, 1H), 7.26 (s, 1H), 7.21-7.17 (m, 1H), 4.47 (s, 2H), 3.72 (s, 3H), 1.42 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 161.1, 154.7, 138.8, 135.5, 133.1, 131.9, 129.7, 129.4, 128.5, 127.2 (q, *J* = 4.0 Hz), 127.1, 126.5 (q, *J* = 3.5 Hz), 126.2 (q, *J* = 33.7 Hz), 123.6 (q, *J* = 271.8 Hz), 114.5, 51.8, 37.5, 29.5, 28.8. **¹⁹F NMR (376MHz, Chloroform-d)** δ: -62.0. **HRMS (DART-TOF)** calculated for C₂₂H₂₃F₃N₃O₂⁺ [M+H]⁺ m/z 418.1737, found 418.1742.



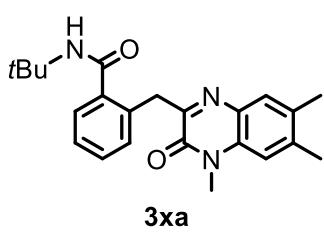
Methyl 3-(2-(Tert-butylcarbamoyl)benzyl)-1-methyl-2-oxo-1,2-dihydroquinoxaline-6-carboxylate (3ua).

General Procedure A was used to prepare the desired product **3ua**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ua** as a pale yellow solid (36.2 mg, 0.089 mmol, 89%);

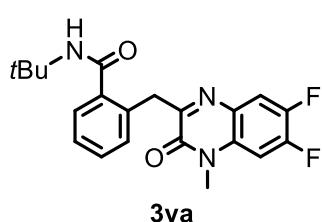
Mp: 154.2-155.6 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.48 (d, *J* = 1.9 Hz, 1H), 8.20 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.64-7.49 (m, 2H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.28 (d, *J* = 2.0 Hz, 1H), 7.25 (d, *J* = 2.1 Hz, 1H), 7.22-7.18 (m, 1H), 4.46 (s, 2H), 3.96 (s, 3H), 3.72 (s, 3H), 1.43 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 165.9, 160.4, 154.8, 138.9, 136.4, 133.2, 131.8, 131.5, 130.9, 129.6, 129.4, 128.6, 127.1, 125.8, 113.9, 52.4, 51.8, 37.4, 29.6, 28.8. **HRMS (DART-TOF)** calculated for C₂₃H₂₆N₃O₄⁺ [M+H]⁺ m/z 408.1918, found 408.1922.



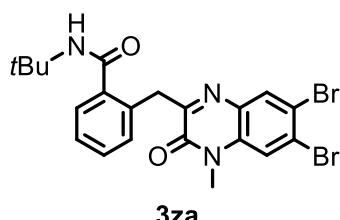
N-(Tert-butyl)-2-((4,8-dimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3wa). **General Procedure A** was used to prepare the desired product **3wa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3wa** as a white solid (34.5 mg, 0.095 mmol, 95%); **Mp:** 132.3-134.2 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 7.98 (s, 1H), 7.59-7.52 (m, 1H), 7.48-7.40 (m, 1H), 7.26-7.19 (m, 3H), 7.18-7.11 (m, 2H), 4.43 (s, 2H), 3.66 (s, 3H), 2.64 (s, 3H), 1.42 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.3, 157.3, 154.7, 139.0, 138.7, 133.3, 133.2, 131.2, 130.0, 129.2, 129.1, 128.6, 126.9, 125.3, 111.7, 51.6, 38.3, 29.3, 28.8, 17.5. **HRMS (DART-TOF)** calculated for C₂₂H₂₆N₃O₂⁺ [M+H]⁺ m/z 364.2020, found 364.2031.



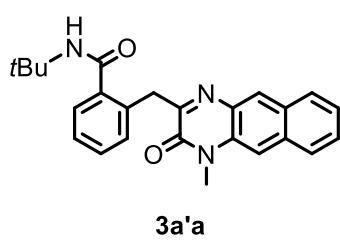
N-(Tert-butyl)-2-((4,6,7-trimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3xa). **General Procedure A** was used to prepare the desired product **3xa**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3xa** as a pale yellow solid (29.4 mg, 0.077 mmol, 77%); **Mp:** 148.4-149.7 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.18 (s, 1H), 7.57 (s, 2H), 7.24-7.16 (m, 3H), 7.08 (s, 1H), 4.41 (s, 2H), 3.66 (s, 3H), 2.42 (s, 3H), 2.35 (s, 3H), 1.46 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 158.0, 155.0, 140.2, 139.0, 133.6, 132.9, 131.2, 130.9, 129.8, 129.3, 129.2, 128.9, 126.9, 114.3, 51.7, 37.3, 29.2, 28.9, 20.6, 19.2. **HRMS (DART-TOF)** calculated for C₂₃H₂₈N₃O₂⁺ [M+H]⁺ m/z 378.2176, found 378.2179.



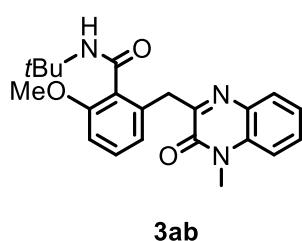
N-(Tert-butyl)-2-((6,7-difluoro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ya). General Procedure A was used to prepare the desired product **3ya**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ya** as a pale yellow solid (37.7 mg, 0.098 mmol, 98%); **Mp:** 190.4–191.8 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 7.67–7.51 (m, 3H), 7.25 (d, *J* = 2.1 Hz, 1H), 7.19 – 7.09 (m, 2H), 4.42 (s, 2H), 3.64 (s, 3H), 1.44 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.1, 159.9 (d, *J* = 3.5 Hz), 154.4, 152.6 (d, *J* = 14.2 Hz), 150.1 (d, *J* = 14.3 Hz), 148.0 (d, *J* = 14.0 Hz), 145.5 (d, *J* = 14.0 Hz), 138.8, 133.0, 130.4 (dd, *J* = 9.1, 1.9 Hz), 129.4 (d, *J* = 8.3 Hz), 128.8 (d, *J* = 2.9 Hz), 128.7 (d, *J* = 2.9 Hz), 128.6, 127.1, 117.4 (dd, *J* = 18.1, 2.2 Hz), 102.5 (d, *J* = 23.0 Hz), 51.8, 37.5, 29.8, 28.9. **¹⁹F NMR (376 MHz, Chloroform-d)** δ: -130.4, -141.4. **HRMS (DART-TOF)** calculated for C₂₁H₂₂F₂N₃O₂⁺ [M+H]⁺ m/z 386.1675, found 386.1681.



N-(Tert-butyl)-2-((6,7-dibromo-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3za). General Procedure A was used to prepare the desired product **3za**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3za** as a pale yellow solid (42.4 mg, 0.084 mmol, 84%); **Mp:** 192.6–193.8 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.05 (s, 1H), 7.58 (s, 1H), 7.54–7.49 (m, 1H), 7.42 (s, 1H), 7.28–7.26 (m, 1H), 7.25 (s, 1H), 7.16 (d, *J* = 9.0 Hz, 1H), 4.42 (s, 2H), 3.64 (s, 3H), 1.43 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.1, 161.1, 154.2, 138.8, 133.7, 133.1, 132.9, 132.2, 129.6, 129.4, 128.5, 127.1, 126.5, 119.1, 118.4, 51.8, 37.6, 29.5, 28.8. **HRMS (DART-TOF)** calculated for C₂₁H₂₂Br₂N₃O₂⁺ [M+H]⁺ m/z 506.0073, found 506.0076.

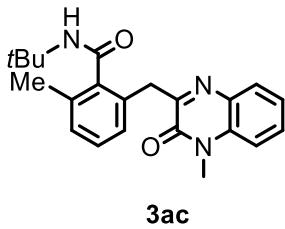


N-(Tert-butyl)-2-((4-methyl-3-oxo-3,4-dihydrobenzo[g]quinoxalin-2-yl)methyl)benzamide (3a'a). General Procedure A was used to prepare the desired product **3a'a**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3a'a** as a yellow oil (24.2 mg, 0.061 mmol, 61%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.33 (s, 1H), 7.91 (s, 3H), 7.69–7.39 (m, 5H), 7.24 (d, *J* = 3.2 Hz, 2H), 4.49 (s, 2H), 3.77 (s, 3H), 1.46 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.2, 159.9, 154.8, 139.0, 133.6, 133.4, 131.8, 131.6, 129.8, 129.5, 129.3, 129.0, 128.8, 128.5, 128.1, 127.2, 127.0, 125.5, 110.2, 51.8, 37.5, 29.31, 28.9. **HRMS (DART-TOF)** calculated for C₂₅H₂₆N₃O₂⁺ [M+H]⁺ m/z 400.2020, found 400.2020.

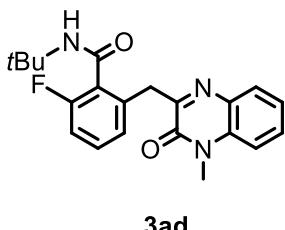


N-(Tert-butyl)-2-methoxy-6-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ab). General Procedure A was used to prepare the desired product **3ab**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ab** as a pale yellow solid (28.5 mg, 0.075 mmol, 75%); **Mp:** 193.5–194.8 °C. **¹H NMR**

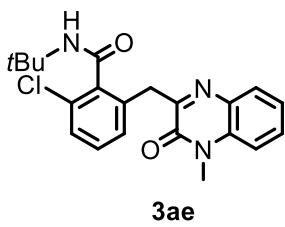
(400 MHz, Chloroform-*d*) δ: 7.71-7.62 (m, 2H), 7.52 (ddd, *J* = 8.6, 7.3, 1.5 Hz, 1H), 7.35-7.26 (m, 3H), 7.19 (dd, *J* = 7.8, 1.3 Hz, 1H), 6.83 (d, *J* = 8.0 Hz, 1H), 4.42 (s, 2H), 3.76 (s, 3H), 3.67 (s, 3H), 1.31 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.0, 160.5, 157.2, 154.7, 140.9, 133.2, 132.1, 129.9, 129.4, 128.0, 123.6, 123.4, 120.0, 113.8, 111.1, 55.8, 51.6, 31.4, 29.4, 28.8. **HRMS (DART-TOF)** calculated for C₂₂H₂₆N₃O₃⁺ [M+H]⁺ m/z 380.1969, found 380.1978.



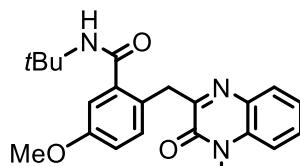
***N*-(Tert-butyl)-2-methyl-6-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ac).** General Procedure A was used to prepare the desired product **3ac**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ac** as a yellow oil (18.3 mg, 0.05 mmol, 50%). **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.84 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.59-7.51 (m, 1H), 7.47 (s, 1H), 7.39-7.29 (m, 2H), 7.12-7.02 (m, 2H), 7.00-6.94 (m, 1H), 4.31 (s, 2H), 3.67 (s, 3H), 2.41 (s, 3H), 1.45 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.2, 159.4, 154.8, 139.1, 135.7, 133.2, 132.7, 132.5, 130.2, 129.9, 128.7, 128.2, 126.2, 123.8, 113.7, 51.6, 37.9, 29.2, 28.8, 19.5. **HRMS (DART-TOF)** calculated for C₂₂H₂₆N₃O₂⁺ [M+H]⁺ m/z 364.2020, found 364.2031.



***N*-(Tert-butyl)-2-fluoro-6-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ad).** General Procedure A was used to prepare the desired product **3ad**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ad** as a white solid (23.5 mg, 0.064 mmol, 64%); **Mp:** 136.2-137.6 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.82 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.57 (ddd, *J* = 8.5, 7.3, 1.5 Hz, 1H), 7.43-7.29 (m, 3H), 7.17 (td, *J* = 8.0, 5.7 Hz, 1H), 6.96 (dd, *J* = 11.2, 8.1 Hz, 2H), 4.35 (s, 2H), 3.68 (s, 3H), 1.43 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 164.3, 159.8 (d, *J* = 248.0 Hz), 158.7, 154.7, 136.0 (d, *J* = 3.6 Hz), 133.2, 132.5, 130.3, 129.9, 129.8 (d, *J* = 8.7 Hz), 127.5 (d, *J* = 18.2 Hz), 124.7 (d, *J* = 3.2 Hz), 123.9, 114.2 (d, *J* = 21.9 Hz), 113.8, 52.0, 37.5 (d, *J* = 2.0 Hz), 29.2, 28.8. **¹⁹F NMR (376MHz, Chloroform-*d*)** δ: -115.9. **HRMS (DART-TOF)** calculated for C₂₁H₂₃FN₃O₂⁺ [M+H]⁺ m/z 368.1769, found 368.1775.



***N*-(Tert-butyl)-2-chloro-6-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ae).** General Procedure A was used to prepare the desired product **3ae**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ae** as a yellow oil (20.6 mg, 0.054 mmol, 54%). **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.83 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.57 (ddd, *J* = 8.5, 7.3, 1.5 Hz, 1H), 7.39-7.31 (m, 2H), 7.25 (d, *J* = 1.5 Hz, 1H), 7.16-7.05 (m, 3H), 4.32 (s, 2H), 3.68 (s, 3H), 1.44 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 166.1, 158.7, 154.6, 138.3, 135.4, 133.2, 132.5, 131.7, 130.4, 129.9, 129.2, 128.1, 127.4, 123.9, 113.8, 52.0, 38.0, 29.2, 28.7. **HRMS (DART-TOF)** calculated for C₂₁H₂₃ClN₃O₂⁺ [M+H]⁺ m/z 384.1473, found 384.1475.



3af

N-(Tert-butyl)-5-methoxy-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3af). General Procedure A was used to prepare the desired product **3af**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3af** as a yellow oil (14.2 mg, 0.037 mmol, 37%). **¹H NMR (400 MHz, Chloroform-d)** δ : 8.22 (s, 1H), 7.84 (dd, J = 8.0, 1.5 Hz, 1H), 7.57 (ddd, J = 8.5, 7.3, 1.5 Hz, 1H), 7.39-7.31 (m, 2H), 7.12-7.08 (m, 2H), 6.79 (dd, J = 8.6, 2.8 Hz, 1H), 4.37 (s, 2H), 3.79 (s, 3H), 3.69 (s, 3H), 1.47 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ : 168.9, 159.5, 158.4, 154.9, 139.9, 133.2, 132.5, 130.5, 130.3, 129.8, 125.3, 123.9, 116.4, 113.8, 112.9, 55.4, 51.8, 36.7, 29.3, 28.9. **HRMS (DART-TOF)** calculated for $C_{22}H_{26}N_3O_3^+ [M+H]^+$ m/z 380.1969, found 380.1973.



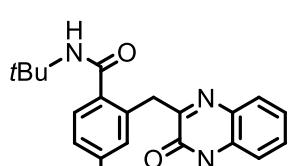
3ag

N-(Tert-butyl)-5-methyl-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ag). General Procedure A was used to prepare the desired product **3ag**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ag** as a yellow oil (14.9 mg, 0.041 mmol, 41%). **¹H NMR (400 MHz, Chloroform-d)** δ : 8.09 (s, 1H), 7.83 (dd, J = 8.0, 1.5 Hz, 1H), 7.56 (ddd, J = 8.6, 7.3, 1.5 Hz, 1H), 7.39-7.31 (m, 3H), 7.09-7.00 (m, 2H), 4.39 (s, 2H), 3.69 (s, 3H), 2.30 (s, 3H), 1.46 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ : 169.3, 159.5, 154.9, 138.8, 136.7, 133.2, 132.5, 130.2, 130.1, 129.8, 129.4, 129.2, 123.9, 113.8, 51.7, 37.0, 29.3, 28.9, 20.9. **HRMS (DART-TOF)** calculated for $C_{22}H_{26}N_3O_2^+ [M+H]^+$ m/z 364.2020, found 364.2023.



3ah

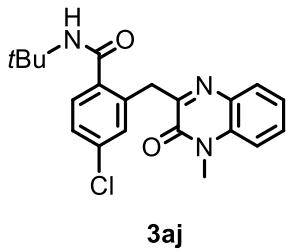
N-(Tert-butyl)-4-methyl-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ah). General Procedure A was used to prepare the desired product **3ah**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ah** as a pale yellow solid (17.0 mg, 0.047 mmol, 47%); **Mp:** 153.8-155.2 °C. **¹H NMR (400 MHz, Chloroform-d)** δ : 8.05 (s, 1H), 7.84 (dd, J = 8.1, 1.5 Hz, 1H), 7.57 (ddd, J = 8.5, 7.4, 1.5 Hz, 1H), 7.48 (d, J = 7.8 Hz, 1H), 7.40-7.30 (m, 2H), 7.06 (d, J = 7.9 Hz, 1H), 6.98 (s, 1H), 4.41 (s, 2H), 3.70 (s, 3H), 2.23 (s, 3H), 1.44 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ : 169.3, 159.5, 154.9, 139.2, 136.2, 133.3, 133.2, 132.5, 130.2, 129.8, 128.9, 127.8, 123.9, 113.8, 51.7, 37.2, 29.3, 28.9, 21.2. **HRMS (DART-TOF)** calculated for $C_{22}H_{26}N_3O_2^+ [M+H]^+$ m/z 364.2020, found 364.2023.



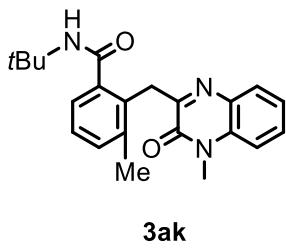
3ai

N-(Tert-butyl)-4-fluoro-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ai). General Procedure was used to prepare the desired product **3ai**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ai** as a pale yellow solid (26.9 mg, 0.073 mmol, 73%); **Mp:** 143.7-145.5 °C. **¹H NMR (400 MHz, Chloroform-d)** δ :

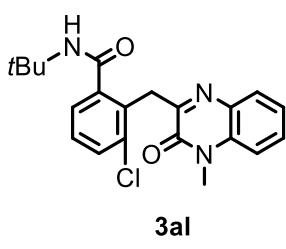
MHz, Chloroform-*d*) δ: 8.06 (s, 1H), 7.84 (d, *J* = 1.5 Hz, 1H), 7.58 (dd, *J* = 8.5, 1.1 Hz, 2H), 7.40-7.32 (m, 2H), 6.97-6.88 (m, 2H), 4.43 (s, 2H), 3.71 (s, 3H), 1.46 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 168.2, 162.9 (d, *J* = 248.7 Hz), 158.6, 154.8, 136.1 (d, *J* = 7.7 Hz), 135.2 (d, *J* = 3.2 Hz), 133.2, 132.5, 131.1 (d, *J* = 8.7 Hz), 130.5, 129.9, 124.1, 115.7 (d, *J* = 21.8 Hz), 114.2 (d, *J* = 21.5 Hz), 113.9, 51.9, 37.4 (d, *J* = 1.6 Hz), 29.4, 28.9. **¹⁹F NMR (376MHz, Chloroform-*d*)** δ: -111.7. **HRMS (DART-TOF)** calculated for C₂₁H₂₃FN₃O₂⁺ [M+H]⁺ m/z 368.1769, found 368.1772.



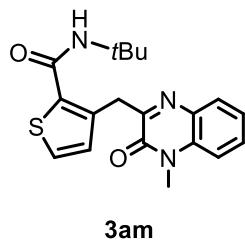
N-(Tert-butyl)-4-chloro-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3aj). General Procedure A was used to prepare the desired product 3aj. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded 3aj as a pale yellow solid (10.1 mg, 0.027 mmol, 27%); **Mp:** 156.4-158.3 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 8.13 (s, 1H), 7.87 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.61 (ddd, *J* = 8.5, 7.3, 1.5 Hz, 1H), 7.54 (d, *J* = 8.3 Hz, 1H), 7.44-7.34 (m, 2H), 7.26-7.18 (m, 2H), 4.42 (s, 2H), 3.73 (s, 3H), 1.47 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 168.2, 158.6, 154.8, 137.4, 135.3, 135.1, 133.2, 132.5, 130.6, 130.4, 129.9, 129.0, 127.3, 124.1, 113.9, 51.9, 37.3, 29.4, 28.9. **HRMS (DART-TOF)** calculated for C₂₁H₂₃ClN₃O₂⁺ [M+H]⁺ m/z 384.1473, found 384.1477.



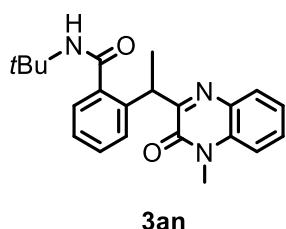
N-(tert-butyl)-3-methyl-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ak). General Procedure A was used to prepare the desired product 3ak. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded 3ak as a pale yellow solid (21.4 mg, 0.059 mmol, 59%); **Mp:** 167.5-169.3 °C. **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.67 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.54 (td, *J* = 7.7, 1.5 Hz, 1H), 7.41-7.27 (m, 4H), 7.24-7.16 (m, 2H), 4.46 (s, 2H), 3.77 (s, 3H), 2.14 (s, 3H), 1.28 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 169.9, 159.6, 154.6, 140.4, 137.4, 133.1, 132.7, 132.1, 131.1, 130.3, 129.6, 126.9, 125.6, 123.7, 113.8, 51.6, 34.2, 29.4, 28.8, 20.3. **HRMS (DART-TOF)** calculated for C₂₂H₂₆N₃O₂⁺ [M+H]⁺ m/z 364.2020, found 364.2025.



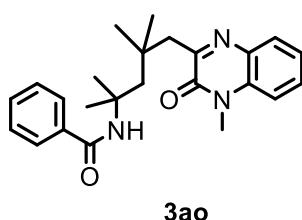
N-(Tert-butyl)-3-chloro-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3al). General Procedure A was used to prepare the desired product 3al. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded 3al as a white oil (14.2 mg, 0.037 mmol, 37%). **¹H NMR (400 MHz, Chloroform-*d*)** δ: 7.67 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 7.8 Hz, 1H), 7.51 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.46 (s, 1H), 7.42-7.30 (m, 4H), 4.59 (s, 2H), 3.80 (s, 3H), 1.30 (s, 9H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ: 168.3, 159.0, 154.5, 150.2, 141.8, 135.0, 133.2, 132.7, 132.0, 131.1, 130.3, 130.3, 129.5, 128.2, 126.4, 123.7, 51.8, 34.8, 29.4, 28.7. **HRMS (DART-TOF)** calculated for C₂₁H₂₃ClN₃O₂⁺ [M+H]⁺ m/z 384.1473, found 384.1478.



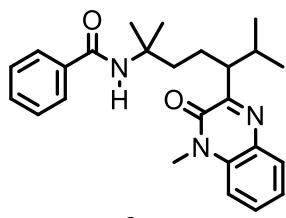
N-(Tert-butyl)-3-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)thiophene-2-carboxamide (3am). General Procedure A was used to prepare the desired product **3am**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3am** as an orange oil (23.7 mg, 0.067 mmol, 67%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.73 (s, 1H), 7.86 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.58 (s, 1H), 7.40 - 7.30 (m, 2H), 7.24 (d, *J* = 5.1 Hz, 1H), 7.00 (d, *J* = 5.0 Hz, 1H), 4.43 (s, 2H), 3.71 (s, 3H), 1.55 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 162.5, 158.2, 154.9, 139.0, 134.3, 133.2, 132.6, 130.5, 129.7, 129.7, 127.3, 124.1, 113.9, 52.2, 34.3, 29.4, 29.1. **HRMS (DART-TOF)** calculated for C₁₉H₂₂N₃O₂S⁺ [M+H]⁺ m/z 356.1427, found 356.1428.



N-(Tert-butyl)-2-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)benzamide (3an). General Procedure A was used to prepare the desired product **3an**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3an** as a pale yellow solid (21.7 mg, 0.059 mmol, 59%); **Mp:** 156.5-157.7 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.20 (s, 1H), 8.03 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.60 (ddd, *J* = 8.4, 7.3, 1.5 Hz, 1H), 7.55-7.51 (m, 1H), 7.47-7.41 (m, 1H), 7.34 (d, *J* = 8.4 Hz, 1H), 7.20 (tdt, *J* = 17.3, 7.4, 1.5 Hz, 2H), 7.02 (dd, *J* = 7.6, 1.5 Hz, 1H), 5.06 (d, *J* = 6.9 Hz, 1H), 3.64 (s, 3H), 1.64 (d, *J* = 6.9 Hz, 3H), 1.55 (s, 9H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 169.4, 161.0, 154.8, 139.4, 138.7, 132.9, 132.7, 130.4, 130.0, 129.1, 128.6, 126.7, 126.3, 123.9, 113.7, 51.7, 39.3, 29.1, 28.9, 20.6. **HRMS (DART-TOF)** calculated for C₂₂H₂₆N₃O₂⁺ [M+H]⁺ m/z 364.2020, found 364.2022.

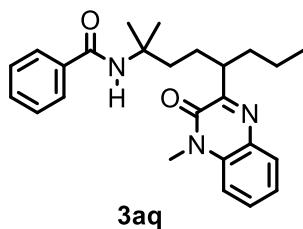


N-(2,4,4-Trimethyl-5-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)pentan-2-yl)benzamide (3ao). General Procedure A was used to prepare the desired product **3ao**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ao** as a yellow oil (24.7 mg, 0.063 mmol, 63%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.25 (s, 1H), 7.76-7.70 (m, 2H), 7.54-7.49 (m, 1H), 7.39 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.36-7.27 (m, 2H), 7.25-7.18 (m, 3H), 3.71 (s, 3H), 3.25 (s, 2H), 1.84 (s, 2H), 1.67 (s, 6H), 1.16 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 167.7, 160.0, 155.6, 136.6, 133.1, 131.6, 130.5, 130.1, 129.2, 128.1, 127.1, 123.6, 113.7, 55.6, 51.2, 41.2, 36.7, 30.6, 29.5, 29.1. **HRMS (DART-TOF)** calculated for C₂₄H₃₀N₃O₂⁺ [M+H]⁺ m/z 392.2333, found 392.2339.

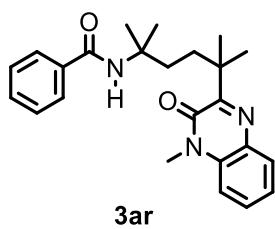


N-(2,6-Dimethyl-5-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)heptan-2-yl)benzamide (3ap). General Procedure A was used to prepare the desired product **3ap**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ap** as a yellow oil (33.4 mg, 0.082 mmol, 82%). **¹H NMR (400 MHz, Chloroform-d)** δ: 7.74-7.62 (m, 3H), 7.48 (dd, *J* = 14.2, 7.2 Hz, 2H), 7.41 (dd, *J* = 8.2, 6.6 Hz, 2H), 7.32-7.25 (m, 1H), 7.26 (dd, *J* = 2.5, 1.7 Hz, 1H), 6.10 Hz, 2H), 7.41 (dd, *J* = 8.2, 6.6 Hz, 2H), 7.32-7.25 (m, 1H), 7.26 (dd, *J* = 2.5, 1.7 Hz, 1H), 6.10

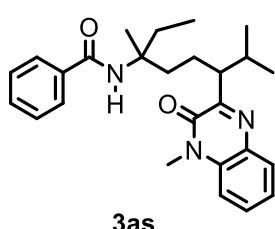
(s, 1H), 3.68 (s, 3H), 3.33 (ddd, J = 11.0, 6.3, 3.2 Hz, 1H), 2.16 (d, J = 6.8 Hz, 2H), 1.79 (ddd, J = 8.8, 5.6, 3.0 Hz, 2H), 1.68 (m, 1H), 1.43 (d, J = 16.8 Hz, 6H), 0.95 (dd, J = 9.6, 6.8 Hz, 6H). **^{13}C NMR (101 MHz, Chloroform-*d*)** δ : 167.0, 163.1, 155.2, 136.3, 132.9, 132.6, 130.9, 129.8, 129.6, 128.4, 126.8, 123.4, 113.6, 54.1, 47.6, 39.6, 31.3, 29.2, 27.2, 25.7, 22.7, 21.3, 19.2. **HRMS (DART-TOF)** calculated for $\text{C}_{25}\text{H}_{32}\text{N}_3\text{O}_2^+$ [M+H]⁺ m/z 406.2489, found 406.2503.



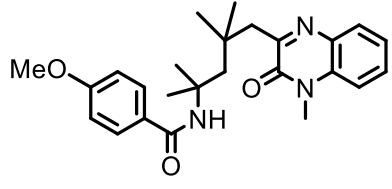
***N*-(2-Methyl-5-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)octan-2-yl)benzamide (3aq).** General Procedure A was used to prepare the desired product **3aq**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3aq** as a yellow oil (25.4 mg, 0.063 mmol, 63%). **^1H NMR (400 MHz, Chloroform-*d*)** δ : 7.70 (td, J = 8.1, 1.4 Hz, 3H), 7.53-7.43 (m, 2H), 7.42-7.37 (m, 2H), 7.30-7.27 (m, 1H), 7.26 (s, 1H), 6.08 (s, 1H), 3.68 (s, 3H), 3.47 (dtd, J = 8.7, 3.4, 1.9 Hz, 1H), 2.09-1.96 (m, 1H), 1.88-1.67 (m, 4H), 1.60-1.54 (m, 1H), 1.43 (d, J = 12.1 Hz, 6H), 1.35-1.26 (m, 2H), 0.88 (d, J = 7.3 Hz, 3H). **^{13}C NMR (101 MHz, Chloroform-*d*)** δ : 166.9, 163.6, 155.0, 136.3, 132.9, 132.8, 130.9, 129.8, 129.6, 128.4, 126.9, 123.4, 113.5, 54.1, 41.6, 39.2, 36.0, 29.1, 27.1, 26.9, 26.0, 20.7, 14.2. **HRMS (DART-TOF)** calculated for $\text{C}_{25}\text{H}_{32}\text{N}_3\text{O}_2^+$ [M+H]⁺ m/z 406.2489, found 406.2498.



***N*-(2,5-Dimethyl-5-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)hexan-2-yl)benzamide (3ar).** General Procedure A was used to prepare the desired product **3ar**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ar** as a yellow oil (19.8 mg, 0.051 mmol, 51%). **^1H NMR (400 MHz, Chloroform-*d*)** δ : 7.84 (dd, J = 8.2, 1.6 Hz, 2H), 7.75 (d, J = 7.9 Hz, 1H), 7.53-7.48 (m, 1H), 7.47-7.42 (m, 2H), 7.41 (d, J = 0.7 Hz, 1H), 7.27 (s, 1H), 7.25 (s, 1H), 6.39 (s, 1H), 3.60 (s, 3H), 2.16 (s, 2H), 1.55-1.50 (m, 2H), 1.47 (s, 6H), 1.43 (s, 6H). **^{13}C NMR (101 MHz, Chloroform-*d*)** δ : 166.9, 164.3, 154.0, 136.2, 133.2, 132.3, 130.9, 130.1, 129.7, 128.3, 127.0, 123.3, 113.4, 54.0, 42.7, 37.3, 34.4, 28.8, 26.7, 26.4. **HRMS (DART-TOF)** calculated for $\text{C}_{24}\text{H}_{30}\text{N}_3\text{O}_2^+$ [M+H]⁺ m/z 392.2333, found 392.2339.



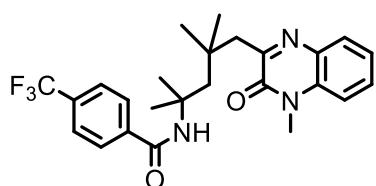
***N*-(3,7-Dimethyl-6-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)octan-3-yl)benzamide (3as).** General Procedure A was used to prepare the desired product **3as**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3as** as a yellow oil (28.1 mg, 0.067 mmol, 67%, 1:1 dr). **^1H NMR (400 MHz, Chloroform-*d*)** δ : 7.73-7.61 (m, 3H), 7.53-7.37 (m, 4H), 7.30 (s, 1H), 7.26 (s, 1H), 5.96 (s, 1H), 3.67 (d, J = 1.9 Hz, 3H), 3.32 (dd, J = 5.6, 2.3 Hz, 1H), 2.19-2.01 (m, 2H), 1.97-1.61 (m, 5H), 1.37 (s, 3H), 0.97-0.88 (m, 6H), 0.86-0.74 (m, 3H). **^{13}C NMR (101 MHz, Chloroform-*d*)** δ : 166.9, 166.8, 163.1, 163.1, 155.2, 136.4, 136.3, 132.9, 132.6, 130.9, 129.9, 129.8, 129.6, 129.6, 128.4, 126.8, 126.7, 123.4, 113.5, 113.5, 57.1, 57.0, 47.6, 36.4, 36.4, 31.3, 31.2, 31.0, 29.9, 29.2, 24.0, 23.4, 22.6, 22.2, 21.3, 21.3, 19.3, 19.1, 8.2, 8.1. **HRMS (DART-TOF)** calculated for $\text{C}_{26}\text{H}_{34}\text{N}_3\text{O}_2^+$ [M+H]⁺ m/z 420.2646, found 420.2650.



3at

4-Methoxy-N-(2,4,4-trimethyl-5-(4-methoxyphenyl)-3,4-dihydroquinoxalin-2-yl)pentan-2-yl)benzamide (3at).

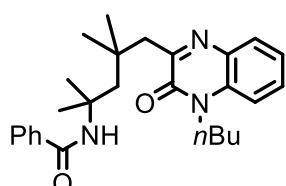
General Procedure A was used to prepare the desired product **3at**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3at** as a yellow oil (17.7 mg, 0.042 mmol, 42%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.05 (s, 1H), 7.75-7.69 (m, 2H), 7.56-7.48 (m, 2H), 7.31 (d, *J* = 8.3 Hz, 1H), 7.24 (d, *J* = 7.3 Hz, 1H), 6.74 (d, *J* = 8.8 Hz, 2H), 3.75 (s, 3H), 3.71 (s, 3H), 3.24 (s, 2H), 1.83 (s, 2H), 1.65 (s, 6H), 1.16 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 167.1, 161.5, 160.1, 155.6, 133.1, 131.7, 130.1, 129.3, 128.9, 128.8, 123.7, 113.7, 113.3, 55.6, 55.3, 51.3, 41.5, 36.7, 30.5, 29.5, 29.2. **HRMS (DART-TOF)** calculated for C₂₅H₃₂N₃O₃⁺ [M+H]⁺ m/z 422.2438, found 422.2447.



3au

4-(Trifluoromethyl)-N-(2,4,4-trimethyl-5-(4-methoxyphenyl)-3,4-dihydroquinoxalin-2-yl)pentan-2-yl)benzamide (3au).

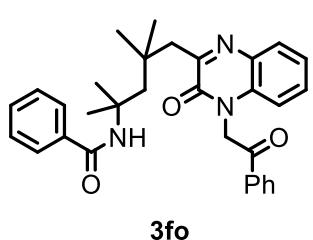
General Procedure A was used to prepare the desired product **3au**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3au** as a pale yellow solid (24.1 mg, 0.053 mmol, 53%); **Mp:** 134.2-135.5 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.73 (s, 1H), 7.84 (d, *J* = 8.0 Hz, 2H), 7.53 (ddd, *J* = 8.6, 7.0, 1.8 Hz, 1H), 7.46 (d, *J* = 8.1 Hz, 2H), 7.30 (dd, *J* = 8.4, 1.1 Hz, 1H), 7.26-7.14 (m, 2H), 3.71 (s, 3H), 3.27 (s, 2H), 1.79 (s, 2H), 1.68 (s, 6H), 1.16 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 166.5, 160.0, 155.6, 140.1, 133.1, 132.2 (q, *J* = 32.6 Hz), 131.4, 130.3, 128.8, 127.6, 125.1 (q, *J* = 3.5 Hz), 123.7 (q, *J* = 272.7 Hz), 123.7, 113.8, 55.9, 51.3, 40.6, 36.6, 30.8, 29.5, 28.9. **¹⁹F NMR (376 MHz, Chloroform-d)** δ: -62.9. **HRMS (DART-TOF)** calculated for C₂₅H₂₉F₃N₃O₂⁺ [M+H]⁺ m/z 460.2206, found 460.2212.



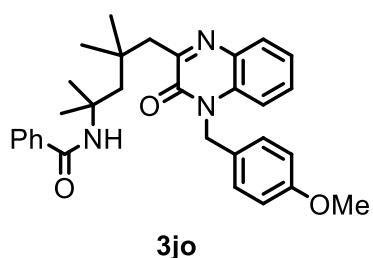
3co

N-(5-(4-Butyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3co). **General Procedure A** was used to prepare the desired product **3co**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3co** as a yellow oil (21.1 mg, 0.049 mmol, 49%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.23 (s, 1H), 7.77 (dd, *J* = 7.5, 1.7 Hz, 2H), 7.51 (d, *J* = 7.2 Hz, 1H), 7.43 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.33 (dd, *J* = 13.8, 7.9 Hz, 2H), 7.28-7.18 (m, 3H), 4.30-4.22 (m, 2H), 3.26 (s, 2H), 1.85 (s, 2H), 1.75 (s, 2H), 1.68 (s, 6H), 1.53-1.46 (m, 2H), 1.18 (s, 6H), 1.02 (t, *J* = 7.4 Hz, 3H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 167.7, 160.0, 155.3, 136.7, 132.3, 132.0, 130.5, 130.0, 129.4, 128.2, 127.1, 123.4, 113.7, 55.7, 51.3, 42.4, 41.3, 36.7, 30.5, 29.3, 29.1, 20.2, 13.8. **HRMS (DART-TOF)** calculated for C₂₇H₃₆N₃O₂⁺ [M+H]⁺ m/z 434.2802, found 434.2815.

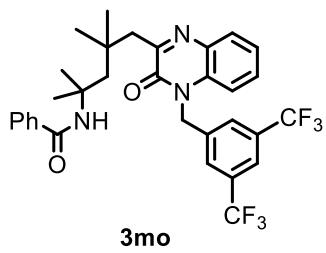
N-(2,4,4-Trimethyl-5-(3-oxo-4-(2-oxo-2-phenylethyl)-3,4-dihydroquinoxalin-2-yl)pentan-2-yl)benzamide (3fo). **General Procedure A** was used to prepare the desired product **3fo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1)



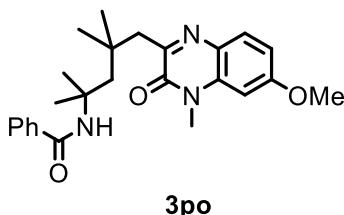
as eluent afforded **3fo** as a yellow oil (32.2 mg, 0.065 mmol, 65%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.23 (s, 1H), 8.14-8.01 (m, 2H), 7.84-7.74 (m, 2H), 7.73-7.63 (m, 1H), 7.55 (t, *J* = 7.7 Hz, 2H), 7.45-7.32 (m, 3H), 7.30-7.27 (m, 1H), 7.26 (d, *J* = 3.5 Hz, 1H), 7.19 (dd, *J* = 7.8, 1.2 Hz, 1H), 6.94 (dd, *J* = 8.0, 1.6 Hz, 1H), 5.74 (s, 2H), 3.26 (s, 2H), 1.84 (s, 2H), 1.67 (s, 6H), 1.17 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 191.1, 167.8, 159.6, 155.4, 136.6, 134.5, 134.4, 132.5, 131.8, 130.6, 130.2, 129.5, 129.1, 128.2, 128.2, 127.1, 123.8, 113.5, 55.7, 51.3, 48.7, 41.4, 36.8, 30.5, 29.1. **HRMS (DART-TOF)** calculated for C₃₁H₃₄N₃O₃⁺ [M+H]⁺ m/z 496.2595, found 496.2602.



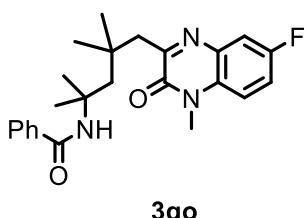
***N*-(5-(4-(4-Methoxybenzyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3jo).** General Procedure A was used to prepare the desired product **3jo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3jo** as a yellow oil (28.8 mg, 0.058 mmol, 58%). **¹H NMR (400 MHz, Chloroform-d)** δ: 8.13 (s, 1H), 7.75 (dd, *J* = 7.5, 1.7 Hz, 2H), 7.40 (t, *J* = 8.4 Hz, 2H), 7.31 (dd, *J* = 15.4, 7.8 Hz, 2H), 7.25-7.13 (m, 5H), 6.86-6.80 (m, 2H), 5.42 (s, 2H), 3.76 (s, 3H), 3.29 (s, 2H), 1.86 (s, 2H), 1.67 (s, 6H), 1.19 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 167.7, 160.1, 159.2, 155.7, 136.6, 132.4, 132.0, 130.6, 130.0, 129.3, 128.4, 128.2, 127.3, 127.1, 123.6, 114.4, 114.4, 55.7, 55.3, 51.3, 45.6, 41.6, 36.8, 30.5, 29.1. **HRMS (DART-TOF)** calculated for C₃₁H₃₆N₃O₃⁺ [M+H]⁺ m/z 498.2751, found 498.2757.



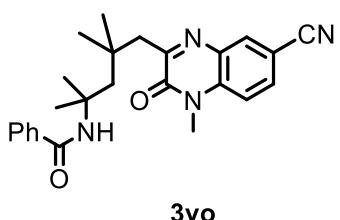
***N*-(5-(4-(3,5-Bis(trifluoromethyl)benzyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3mo).** General Procedure A was used to prepare the desired product **3mo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3mo** as a yellow oil (28.8 mg, 0.048 mmol, 48%). **¹H NMR (400 MHz, Chloroform-d)** δ: 7.87 (s, 1H), 7.81 (s, 1H), 7.76-7.72 (m, 2H), 7.70 (s, 2H), 7.49 (d, *J* = 1.5 Hz, 2H), 7.35 (d, *J* = 7.6 Hz, 1H), 7.29 (s, 1H), 7.26-7.20 (m, 2H), 7.14 (d, *J* = 8.4 Hz, 1H), 5.57 (s, 2H), 3.29 (s, 2H), 1.90 (s, 2H), 1.67 (s, 6H), 1.18 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 167.6, 160.1, 155.6, 138.1, 136.6, 132.5 (q, *J* = 33.6 Hz), 132.1, 131.9, 130.6, 130.4, 129.9, 128.2, 127.2 (q, *J* = 3.8 Hz), 127.0, 124.3, 123.9 (q, *J* = 272.1 Hz), 122.1 (q, *J* = 3.9 Hz), 113.4, 55.6, 51.0, 45.4, 41.7, 36.9, 30.1, 29.1. **¹⁹F NMR (376 MHz, Chloroform-d)** δ: -63.0. **HRMS (DART-TOF)** calculated for C₃₂H₃₂F₆N₃O₂⁺ [M+H]⁺ m/z 604.2393, found 604.2399.



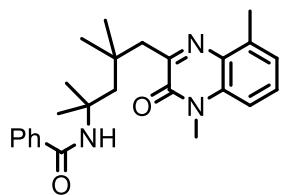
N-(5-(6-Methoxy-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3po). General Procedure A was used to prepare the desired product **3po**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3po** as a pale yellow solid (24.7 mg, 0.059 mmol, 59%); **Mp:** 139.4-141.1 °C. **¹H NMR** (400 MHz, Chloroform-*d*) δ: 8.41 (s, 1H), 7.74 (dd, *J* = 8.1, 1.4 Hz, 2H), 7.35 (t, *J* = 7.3 Hz, 1H), 7.25-7.17 (m, 3H), 7.12 (dd, *J* = 9.1, 2.8 Hz, 1H), 6.75 (d, *J* = 2.8 Hz, 1H), 3.69 (s, 3H), 3.61 (s, 3H), 3.25 (s, 2H), 1.82 (s, 2H), 1.67 (s, 6H), 1.17 (s, 6H). **¹³C NMR** (101 MHz, Chloroform-*d*) δ: 167.9, 160.4, 155.9, 155.3, 136.8, 132.3, 130.5, 128.2, 127.3, 127.2, 119.5, 114.6, 110.4, 55.6, 55.6, 51.4, 41.4, 36.7, 30.6, 29.6, 29.0. **HRMS (DART-TOF)** calculated for C₂₅H₃₂N₃O₃⁺ [M+H]⁺ m/z 422.2438, found 422.2439.



N-(5-(7-Fluoro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3qo). General Procedure A was used to prepare the desired product **3qo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3qo** as a pale yellow solid (26.8 mg, 0.066 mmol, 66%); **Mp:** 132.9-134.2 °C. **¹H NMR** (400 MHz, Chloroform-*d*) δ: 7.76 (s, 1H), 7.70 (dd, *J* = 7.5, 1.7 Hz, 2H), 7.39-7.33 (m, 1H), 7.29 (s, 1H), 7.24 (d, *J* = 5.1 Hz, 3H), 7.10 (dd, *J* = 8.6, 2.5 Hz, 1H), 3.68 (s, 3H), 3.21 (s, 2H), 1.88 (s, 2H), 1.65 (s, 6H), 1.17 (s, 6H). **¹³C NMR** (101 MHz, Chloroform-*d*) δ: 167.6, 161.6, 159.8, 157.4, 155.2, 136.6, 132.3 (d, *J* = 11.1 Hz), 130.6, 128.2, 126.9, 117.8 (d, *J* = 23.9 Hz), 114.8 (d, *J* = 3.5 Hz), 114.6 (d, *J* = 10.4 Hz), 55.6, 51.0, 42.0, 36.7, 30.3, 29.7, 29.1. **¹⁹F NMR** (376 MHz, Chloroform-*d*) δ: -118.8. **HRMS (DART-TOF)** calculated for C₂₄H₂₉FN₃O₂⁺ [M+H]⁺ m/z 410.2238, found 410.2246.

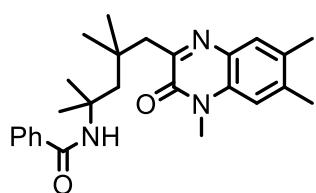


N-(5-(7-Cyano-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3vo). General Procedure A was used to prepare the desired product **3vo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3vo** as an orange solid (16.7 mg, 0.040 mmol, 40%); **Mp:** 159.2-160.4 °C. **¹H NMR** (400 MHz, Chloroform-*d*) δ: 7.69-7.64 (m, 2H), 7.59-7.53 (m, 2H), 7.48 (s, 1H), 7.41-7.27 (m, 4H), 3.68 (s, 3H), 3.21 (s, 2H), 1.96 (s, 2H), 1.64 (s, 6H), 1.18 (s, 6H). **¹³C NMR** (101 MHz, Chloroform-*d*) δ: 167.3, 163.7, 155.0, 136.4, 134.0, 133.4, 130.8, 130.3, 128.3, 126.8, 126.5, 118.1, 117.8, 113.1, 55.6, 50.4, 42.7, 36.8, 30.1, 29.6, 29.3. **HRMS (DART-TOF)** calculated for C₂₅H₂₉N₄O₂⁺ [M+H]⁺ m/z 417.2285, found 417.2298.



3wo

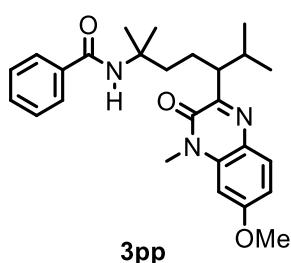
N-(5-(4,8-Dimethyl-3,4-dihydroquinoxalin-2-yl)-2,4,4-trimethylpentan-2-yl)benzamide (3wo). General Procedure A was used to prepare the desired product **3wo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3wo** as a yellow oil (20.5 mg, 0.051 mmol, 51%). **¹H NMR (400 MHz, Chloroform-d)** δ: 7.63-7.59 (m, 2H), 7.51 (s, 1H), 7.42-7.38 (m, 1H), 7.32 (s, 1H), 7.20 (t, *J* = 7.7 Hz, 2H), 7.14-7.10 (m, 2H), 3.68 (s, 3H), 3.28 (s, 2H), 2.42 (s, 3H), 1.98 (s, 2H), 1.66 (s, 6H), 1.18 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 167.3, 158.4, 155.4, 138.3, 136.4, 133.2, 130.7, 130.7, 129.8, 128.2, 126.8, 125.1, 111.64, 55.7, 50.6, 41.5, 36.1, 30.4, 29.6, 29.1, 17.9. **HRMS (DART-TOF)** calculated for C₂₅H₃₂N₃O₂⁺ [M+H]⁺ m/z 406.2489, found 406.2492.



3xo

N-(2,4,4-Trimethyl-5-(4,6,7-trimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)pentan-2-yl)benzamide (3xo).

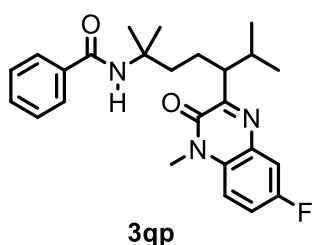
General Procedure A was used to prepare the desired product **3xo**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3xo** as a pale yellow solid (25.8 mg, 0.062 mmol, 62%); **Mp:** 147.4-148.8 °C. **¹H NMR (400 MHz, Chloroform-d)** δ: 8.55 (s, 1H), 7.76-7.69 (m, 2H), 7.35-7.29 (m, 1H), 7.23 (dd, *J* = 8.4, 7.0 Hz, 2H), 7.04 (s, 1H), 6.97 (s, 1H), 3.68 (s, 3H), 3.22 (s, 2H), 2.38 (s, 3H), 2.17 (s, 3H), 1.78 (s, 2H), 1.67 (s, 6H), 1.15 (s, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 168.0, 158.5, 155.7, 139.9, 137.0, 132.5, 131.1, 130.4, 130.0, 129.2, 128.1, 127.2, 114.2, 55.6, 51.5, 41.0, 36.6, 30.7, 29.4, 28.9, 20.5, 19.0. **HRMS (DART-TOF)** calculated for C₂₆H₃₄N₃O₂⁺ [M+H]⁺ m/z 420.2646, found 420.2649.



3pp

N-(5-(6-Methoxy-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,6-dimethylheptan-2-yl)benzamide (3pp). General Procedure A

was used to prepare the desired product **3pp**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3pp** as a yellow oil (28.4 mg, 0.065 mmol, 65%). **¹H NMR (400 MHz, Chloroform-d)** δ: 7.75-7.69 (m, 2H), 7.47-7.38 (m, 3H), 7.21 (d, *J* = 8.9 Hz, 1H), 7.17-7.09 (m, 2H), 6.16 (s, 1H), 3.71 (s, 3H), 3.67 (s, 3H), 3.33 (d, *J* = 1.2 Hz, 1H), 2.18 (d, *J* = 6.7 Hz, 2H), 1.80-1.64 (m, 3H), 1.43 (d, *J* = 12.5 Hz, 6H), 0.96 (dd, *J* = 6.7, 1.6 Hz, 6H). **¹³C NMR (101 MHz, Chloroform-d)** δ: 166.8, 163.7, 155.9, 154.8, 136.3, 133.4, 130.9, 128.4, 127.1, 126.9, 118.7, 114.4, 111.4, 55.6, 54.1, 47.8, 39.5, 31.3, 29.3, 27.2, 25.7, 22.8, 21.3, 19.3. **HRMS (DART-TOF)** calculated for C₂₆H₃₄N₃O₃⁺ [M+H]⁺ m/z 436.2595, found 436.2607.



***N*-(5-(7-Fluoro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,6-dimethylheptan-2-yl)benzamide (3qp). General Procedure A**

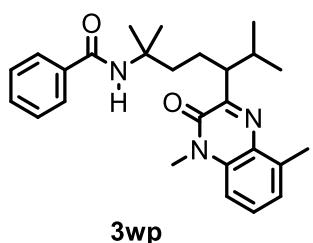
A was used to prepare the desired product **3qp**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3qp** as a yellow oil (25.5mg, 0.060 mmol, 60%).

¹H NMR (400 MHz, Chloroform-d) δ: 7.71-7.64 (m, 2H), 7.51-7.39 (m, 3H), 7.34-7.29 (m, 1H), 7.26-7.20 (m, 2H), 6.02 (s, 1H), 3.66 (s, 3H), 3.37-3.29 (m, 1H), 2.15-2.01 (m, 2H), 1.79-1.63 (m, 3H), 1.42 (d, *J* = 10.3 Hz, 6H), 0.93 (dd, *J* = 8.1, 6.8 Hz, 6H).

¹³C NMR (101 MHz, Chloroform-d) δ: 166.8, 164.8, 158.6 (d, *J* = 243.2 Hz), 154.8, 136.2, 133.2 (d, *J* = 11.2 Hz), 131.0, 129.5 (d, *J* = 2.1 Hz), 128.4, 126.7, 117.2 (d, *J* = 23.9 Hz), 115.2 (d, *J* = 22.4 Hz), 114.5 (d, *J* = 8.8 Hz), 54.1, 47.6, 39.1, 31.3, 29.4, 27.2, 25.9, 22.9, 21.2, 19.2.

¹⁹F NMR (376MHz, Chloroform-d) δ: -119.4.

HRMS (DART-TOF) calculated for C₂₅H₃₁FN₃O₂⁺ [M+H]⁺ m/z 424.2395, found 424.2402.



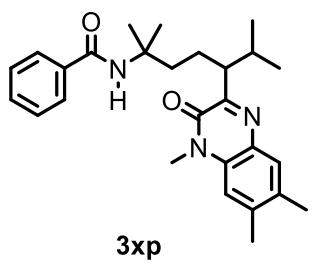
***N*-(5-(4,8-dimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2,6-dimethylheptan-2-yl)benzamide (3wp). General Procedure A**

was used to prepare the desired product **3wp**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3wp** as a white solid (30.9 mg, 0.074 mmol, 74%); **Mp:** 134.6-136.7 °C.

¹H NMR (400 MHz, Chloroform-d) δ: 7.67-7.59 (m, 2H), 7.47-7.32 (m, 4H), 7.16 (d, *J* = 14.3 Hz, 2H), 5.87 (s, 1H), 3.67 (s, 3H), 3.44-3.33 (m, 1H), 2.60 (s, 3H), 2.15-2.04 (m, 2H), 1.80-1.74 (m, 1H), 1.72 (d, *J* = 4.7 Hz, 1H), 1.60-1.47 (m, 1H), 1.42 (d, *J* = 4.1 Hz, 6H), 0.93 (d, *J* = 7.2 Hz, 6H).

¹³C NMR (101 MHz, Chloroform-d) δ: 166.7, 161.0, 155.2, 138.6, 136.1, 132.9, 131.1, 130.9, 129.3, 128.4, 126.7, 124.7, 111.5, 54.2, 47.1, 39.2, 31.4, 29.3, 26.8, 26.4, 23.5, 20.9, 19.3, 17.5.

HRMS (DART-TOF) calculated for C₂₆H₃₄N₃O₂⁺ [M+H]⁺ m/z 420.2646, found 420.2659.



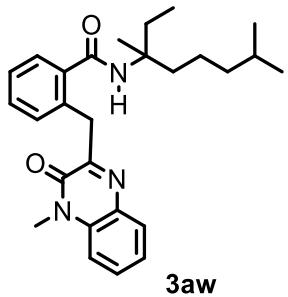
***N*-(2,6-dimethyl-5-(4,6,7-trimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)heptan-2-yl)benzamide (3xp). General Procedure A**

was used to prepare the desired product **3xp**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3xp** as a white solid (28.3 mg, 0.065 mmol, 65%); **Mp:** 144.5-146.2 °C.

¹H NMR (400 MHz, Chloroform-d) δ: 7.80-7.69 (m, 2H), 7.50-7.45 (m, 1H), 7.42 (ddt, *J* = 8.4, 6.5, 1.5 Hz, 2H), 7.36 (s, 1H), 7.05 (s, 1H), 6.26 (s, 1H), 3.66 (s, 3H), 3.36-3.27 (m, 1H), 2.39 (s, 3H), 2.22 (s, 3H), 2.17-2.05 (m, 2H), 1.87-1.72 (m, 2H), 1.66-1.59 (m, 1H), 1.46 (s, 3H), 1.41 (s, 3H), 0.94 (dd, *J* = 16.8, 6.8 Hz, 6H).

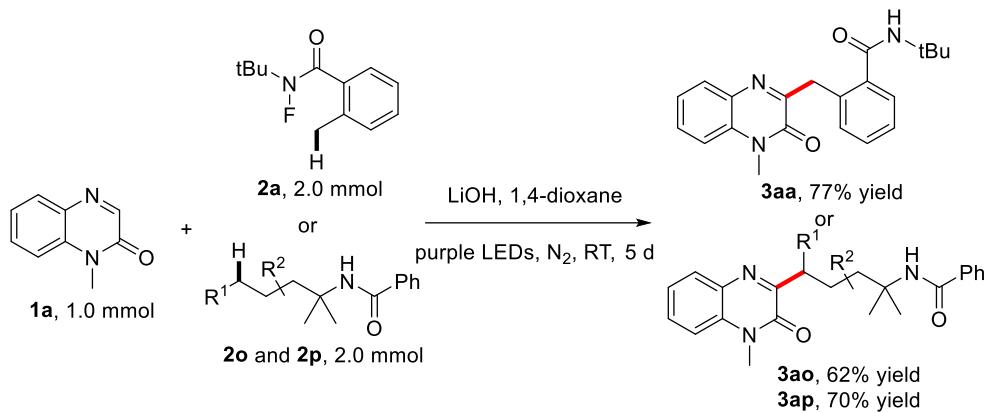
¹³C NMR (101 MHz, Chloroform-d) δ: 167.1, 161.8, 155.3, 139.4, 136.5, 132.2, 131.0, 130.9, 130.8, 129.9, 128.4, 127.0, 114.2, 54.1, 47.3, 39.9, 31.2, 29.1, 27.3, 25.3, 22.3, 21.3, 20.4, 19.0.

HRMS (DART-TOF) calculated for C₂₇H₃₆N₃O₂⁺ [M+H]⁺ m/z 434.2802, found 434.2814.



***N*-(3,7-Dimethyloctan-3-yl)-2-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3aw).** General Procedure A was used to prepare the desired product (3aw). Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded (3aw) as a yellow oil (19.7 mg, 0.045 mmol, 45%). **¹H NMR (400 MHz, Chloroform-*d*)** δ : 7.75 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.63 (s, 1H), 7.49 (td, *J* = 7.3, 4.1, 3.6, 1.5 Hz, 2H), 7.35 – 7.22 (m, 2H), 7.20 – 7.07 (m, 3H), 4.41 (s, 2H), 3.62 (s, 3H), 1.89 (dd, *J* = 13.9, 7.4 Hz, 1H), 1.80 – 1.65 (m, 2H), 1.62 – 1.49 (m, 1H), 1.48 – 1.35 (m, 1H), 1.28 – 1.11 (m, 5H), 1.11 – 1.00 (m, 2H), 0.81 (t, *J* = 7.5 Hz, 3H), 0.75 (dd, *J* = 6.6, 3.1 Hz, 6H). **¹³C NMR (101 MHz, Chloroform-*d*)** δ : 169.0, 159.4, 154.8, 139.1, 133.3, 133.2, 132.5, 130.3, 129.8, 129.3, 129.2, 128.9, 127.0, 123.9, 113.8, 57.5, 39.4, 38.4, 37.6, 30.9, 29.3, 27.9, 23.9, 22.6, 22.6, 21.7, 8.4. **HRMS (DART-TOF)** calculated for C₂₇H₃₆N₃O₂⁺ [M+H]⁺ m/z 434.2802, found 434.2808.

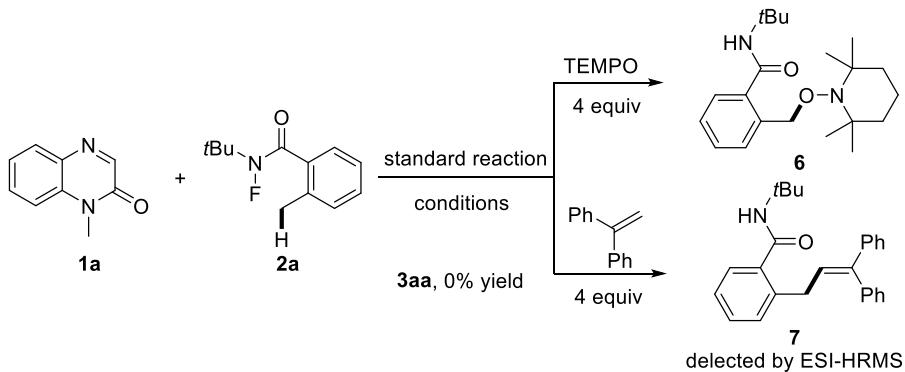
4. Gram-scale Synthesis



A mixture of quinoxalin-2(1*H*)-one **1a** (1.0 mmol), *N*-fluoroamide **2a**, **2o** or **2p** (2.0 mmol, 2 equiv.), LiOH (2.0 mmol, 2 equiv.) and 1,4-dioxane (5 mL) was degassed by three cycles of freeze-pump-thaw. The mixture was irradiated by 24 W 400 nm LEDs at room temperature for 5 days. After removal of solvents, the crude mixture was purified by flash chromatography (petroleum ether/ethyl acetate) to afford the pure product **3aa** (0.27 g, 0.77 mmol, 77%), **3ao** (0.24 g, 0.62 mmol, 62%) or **3ap** (0.28 g, 0.70 mmol, 70%).

5. Mechanistic Experiments

5.1 Radical Inhibition Experiments



To an oven-dried quartz vial, quinoxalin-2(1*H*)-one **1a** (0.1 mmol, 1.0 equiv.), TEMPO (4.0 equiv.), and LiOH (0.2 mmol, 2.0 equiv.) were added sequentially. The vial was charged with a stir bar and transferred to a glovebox, where the solids were backfilled with an inert atmosphere. In the glovebox, *N*-fluoroamide **2a** (0.2 mmol, 2.0 equiv.) was added into the vial, followed by 1,4-dioxane (1.0 mL). The vial was sealed with a rubber plug, removed from the glove box, and irradiated and stir by 24 W 400 nm LEDs at room temperature for 36 h. **HRMS (DART-TOF)**: compound **6** calculated for $\text{C}_{21}\text{H}_{35}\text{N}_2\text{O}_2^+$ $[\text{M}+\text{H}]^+$ m/z 347.2699, found 347.2705.

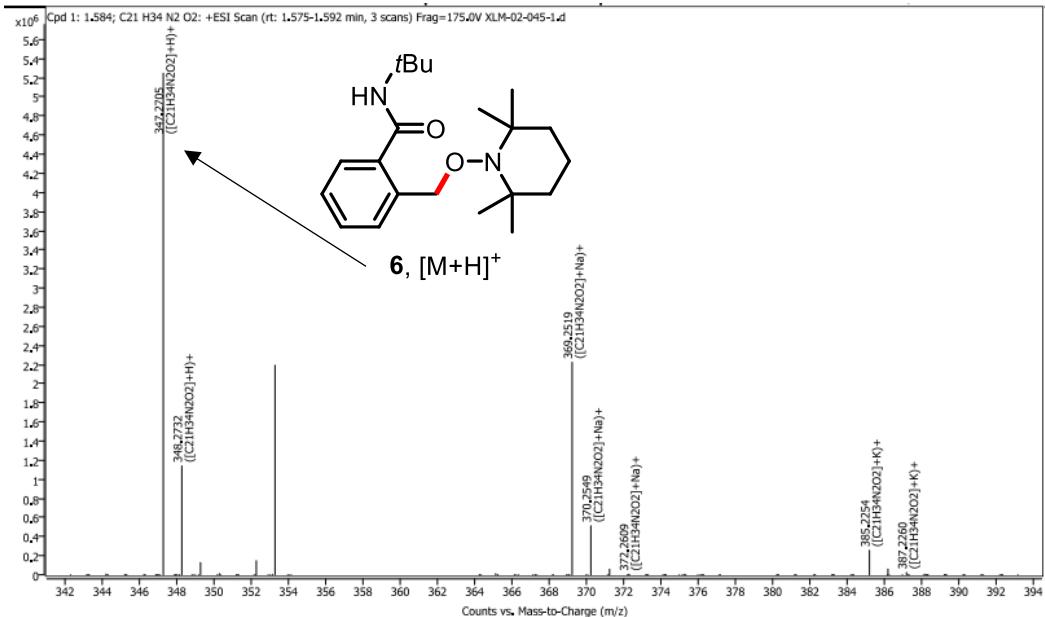


Figure S1 Quinoxalin-2(1*H*)-one **1a** and *N*-fluoroamide **2a** under standard conditions with TEMPO (4.0 equiv.)

To an oven-dried quartz vial, quinoxalin-2(1*H*)-one **1a** (0.1 mmol, 1.0 equiv.) and LiOH (0.2 mmol, 2.0 equiv.) were added sequentially. The vial was charged with a stir bar and transferred to a glovebox, where the solids were backfilled with an inert atmosphere. In the glovebox, *N*-fluoroamide **2a** (0.2 mmol, 2.0 equiv.) and ethene-1,1-diylidibenzene (4 equiv.) were added into the vial, followed by 1,4-dioxane (1.0 mL). The vial was sealed with a rubber plug, removed from the glove box, and irradiated and stir by 24 W 400 nm LEDs at room temperature for 36 h. **HRMS (DART-TOF):** compound **7** calculated for $C_{26}H_{28}NO^+ [M+H]^+$ m/z 370.2165, found 370.2167.

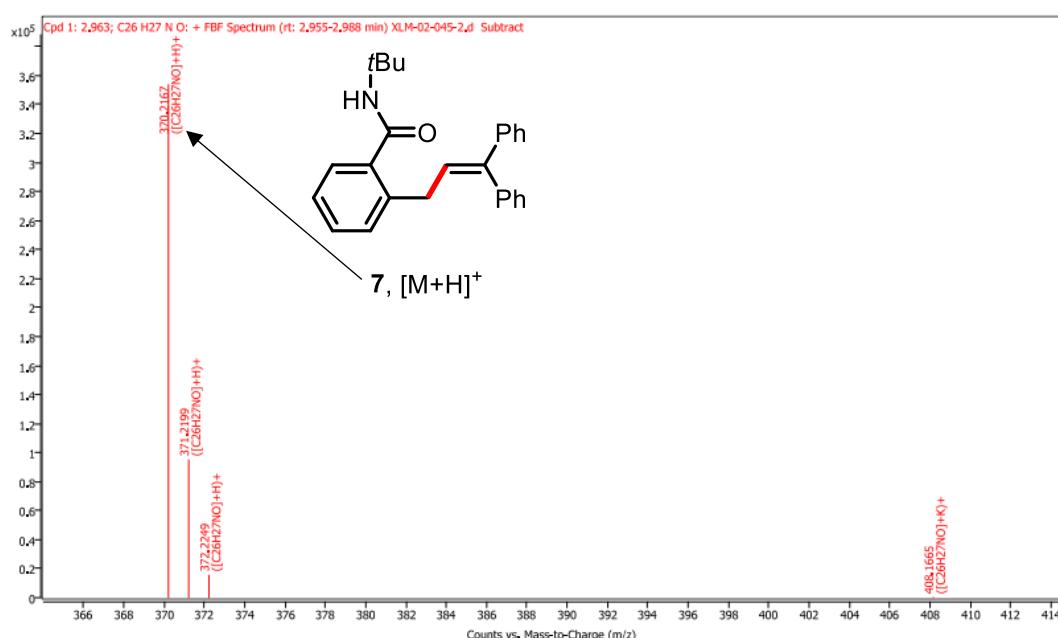
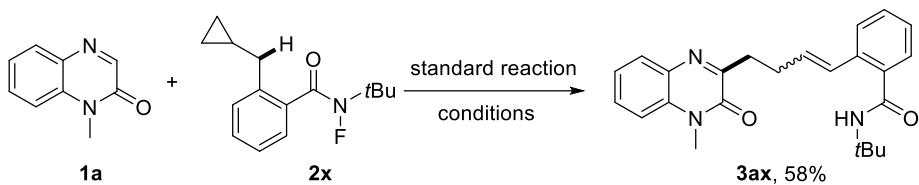


Figure S2 Quinoxalin-2(1*H*)-one **1a** and *N*-fluoroamide **2a** under standard conditions with ethene-1,1-diylidibenzene (4.0 equiv.)

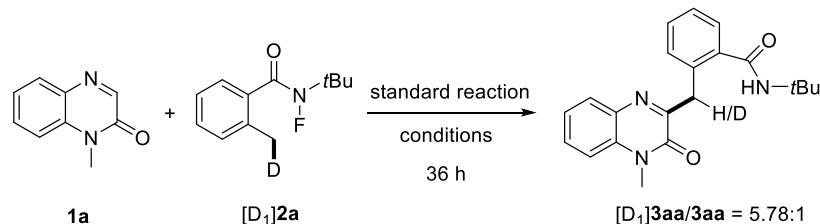
5.2 Radical-Clock experiments



Procedure: Quinoxalin-2(1*H*)-one **1a** (0.1 mmol) and *N*-fluoroamide **2x** (0.2 mmol, 2.0 equiv.) were subjected to **General Procedure A** for 36 h. After removal of solvents, the crude mixture was purified by flash chromatography (petroleum ether/ethyl acetate) to afford the ring-opening product **3ax**.

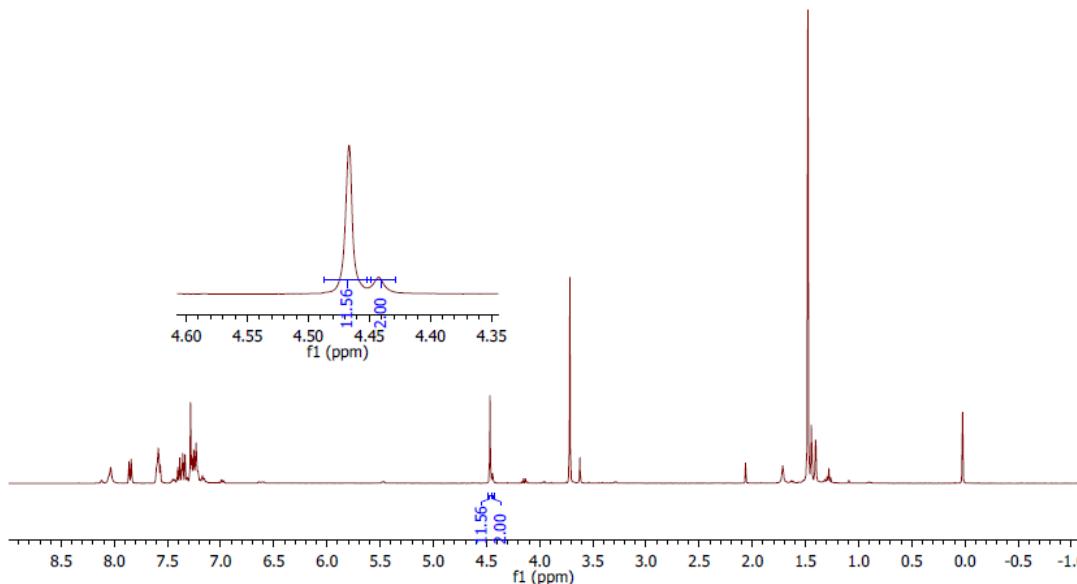
***N*-(Tert-butyl)-2-(4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)but-1-en-1-yl)benzamide (3ax).** General Procedure A was used to prepare the desired product **3ax**. Chromatographic purification on silica gel using petroleum ether/ethyl acetate (3/1) as eluent afforded **3ax** as a yellow oil (22.5 mg, 0.058 mmol, 58%, *Z:E* = 1.5:1, *Z* and *E* isomers were inseparable). **¹H NMR (Z isomer, 400 MHz, Chloroform-*d*)** δ : 7.71 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.67 (d, *J* = 7.4 Hz, 1H), 7.54 (td, *J* = 7.1, 1.5 Hz, 2H), 7.39-7.30 (m, 4H), 6.67 (d, *J* = 11.4 Hz, 1H), 5.94 (dt, *J* = 11.4, 7.4 Hz, 2H), 3.70 (s, 3H), 3.10 (t, *J* = 7.3 Hz, 2H), 2.84-2.73 (m, 2H), 1.37 (s, 9H). **¹H NMR (E isomer, 400 MHz, Chloroform-*d*)** δ : 7.87 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.48 (d, *J* = 7.7 Hz, 1H), 7.43 (dd, *J* = 7.5, 1.4 Hz, 1H), 7.40-7.29 (m, 3H), 7.26-7.21 (m, 2H), 6.78 (d, *J* = 15.7 Hz, 1H), 6.33 (dt, *J* = 15.7, 6.9 Hz, 1H), 5.61 (s, 1H), 3.73 (s, 3H), 3.16 (dd, *J* = 8.7, 6.5 Hz, 2H), 2.88-2.72 (m, 2H), 1.47 (s, 9H). **¹³C NMR (Z and E isomers, 101 MHz, Chloroform-*d*)** δ : 168.9, 168.1, 160.0, 159.7, 154.9, 154.8, 136.4, 136.3, 135.4, 134.6, 133.2, 133.1, 132.9, 132.7, 132.6, 129.9, 129.8, 129.7, 129.6, 129.5, 128.9, 128.2, 128.2, 127.4, 127.2, 126.9, 126.5, 123.6, 123.6, 113.6, 113.5, 51.9, 51.7, 33.9, 33.7, 30.1, 29.1, 29.0, 28.9, 28.7, 24.9. **HRMS (DART-TOF)** calculated for C₂₄H₂₈N₃O₂⁺ [M+H]⁺ m/z 390.2176, found 390.2181.

5.3 Intramolecular KIE study

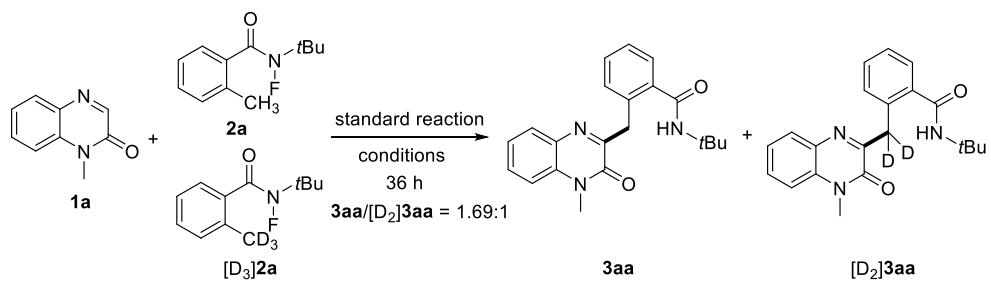


Procedure: According to the **General Procedure**, KIE experiment was performed using **1a** (16 mg, 0.1 mmol) and **[D₁]2a** (42 mg, 0.2 mmol) for 36 h. The crude reaction mixture was analyzed by ¹H NMR. The observed ratio of **[D₁]3aa/3aa** was divided by 2 to correct for the 2:1 ratio of H:D in the intramolecular competition. By ¹H NMR analysis, an intramolecular KIE of 5.78 was calculated, with a range from 5.74 to 5.82.

1H (CDCl₃, 400 MHz)

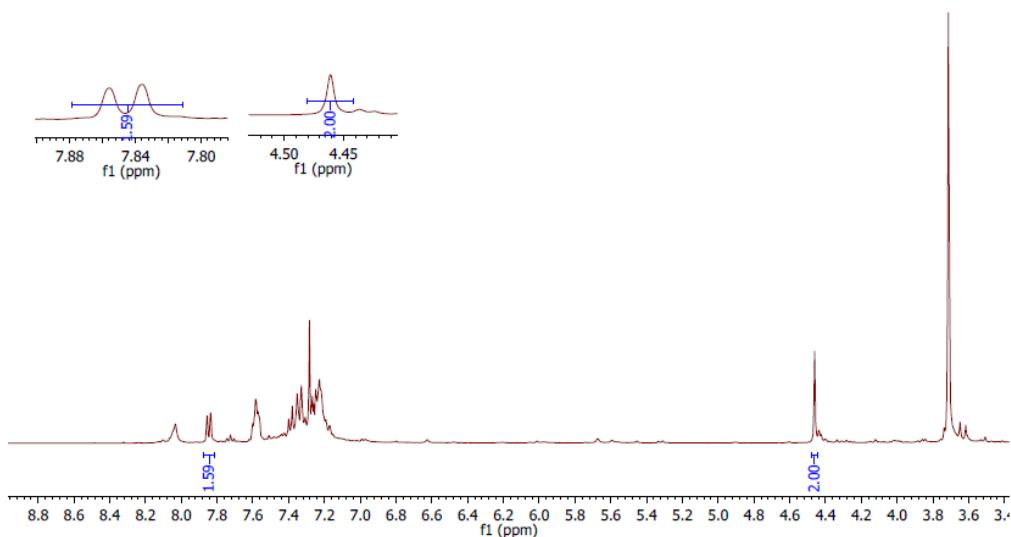


5.4 Intermolecular KIE study



Procedure: According to the **General Procedure**, intermolecular KIE experiment was performed in parallel using **2a** (0.1 mmol) and **[D₃]2a** (0.1 mmol) for 36 h. The crude reaction mixture was analyzed by ¹H NMR. By ¹H NMR analysis, an intermolecular KIE of 1.69 was calculated, with a range from 1.65 to 1.73.

1H (CDCl₃, 400 MHz)



5.5 UV-vis absorption spectrometry

UV-vis absorption spectra of **1a** (0.05 M), **2a** (0.05 M), **1a+2a**, and **1a+2a+DBU** (or **1a** (0.05 M), **2p** (0.05 M), **1a+2p**, and **1a+2p+DBU**) in 3 mL DMSO were recorded in 1 cm path quartz cuvettes using a Shimadzu UV-1900i UV-vis spectrometer. **1a+2a+LiOH** in a cosolvent with 1.5 mL H₂O and 1.5 mL DMSO.

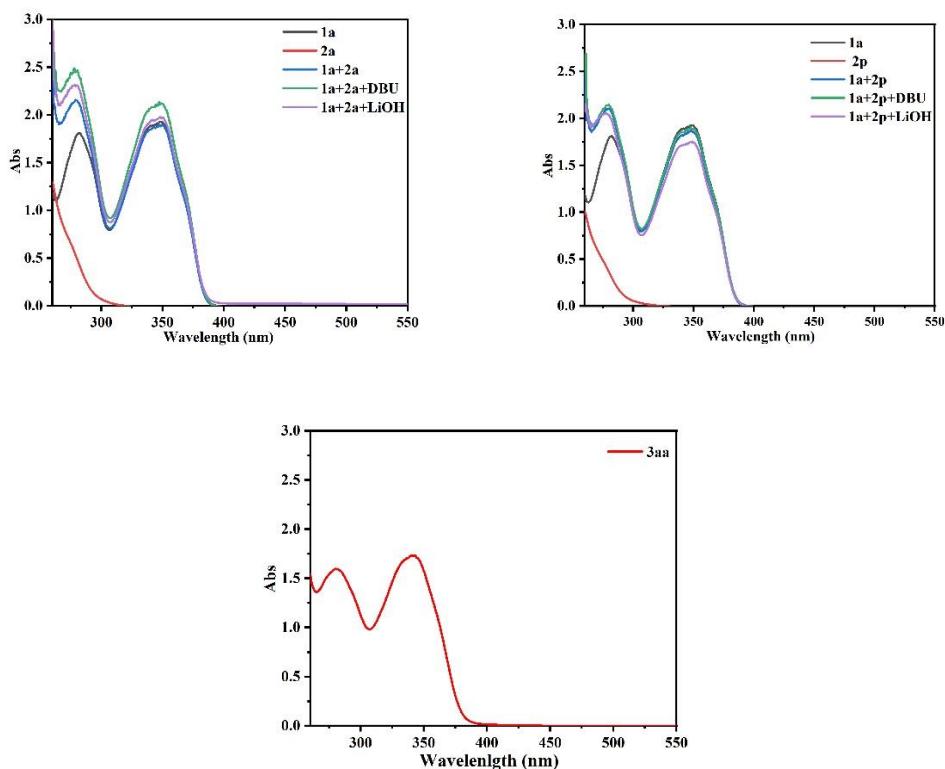
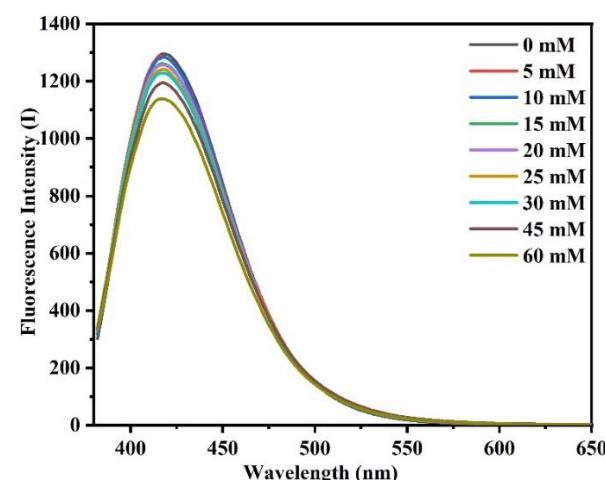


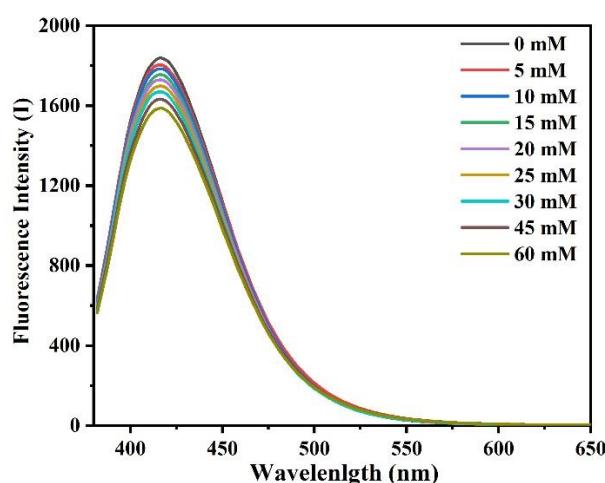
Figure S3 UV-vis absorption spectra

5.6 Stern-Volmer fluorescence quenching experiments

All fluorescence measurements were recorded by a F-4600 FL Spectrophotometer. Stern-Volmer fluorescence quenching experiments were run with freshly prepared solutions of 20.0 μ M substrate **1a** or product **3aa**, in degassed dry DMSO at room temperature. All solutions were excited at 350 nm and the emission intensity at 418 nm was observed. Control experiments showed that the excited state substrate **1a** and product **3aa** were both mainly quenched by **2a**.



(a)



(b)

Figure S4 The fluorescence emission spectra of **1a** and **3aa** with different concentration of **2a** excited at 350 nm. (a) quinoxalin-2(1H)-one **1a** (b) product **3aa**

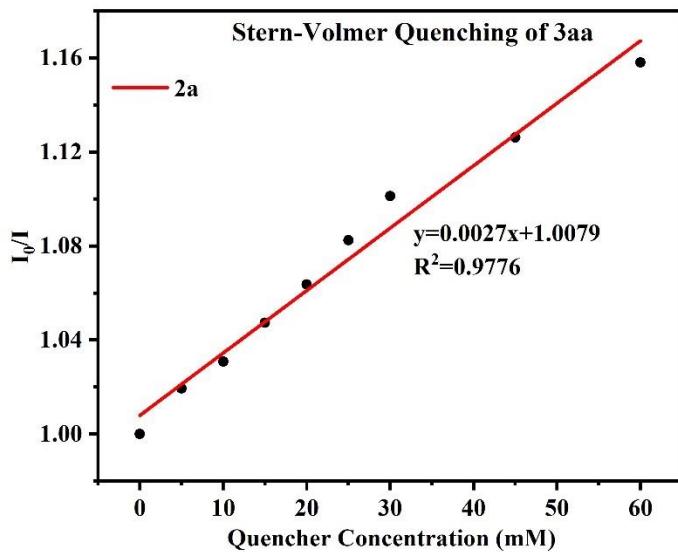
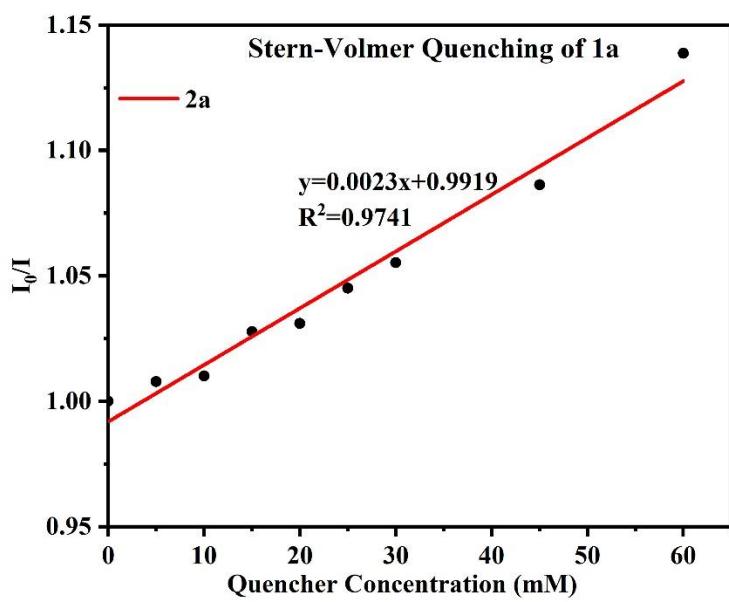


Figure S5 Stern-Volmer fluorescence quenching plot

5.7 Cyclic voltammetry

Cyclic Voltammetry was performed using a CHI760E Electrochemical workstation with a glassy carbon as the working electrode, the Ag/AgCl electrode (3 M KCl) as the reference electrode, and a platinum electrode as the counter electrode. The testing solution of **1a**, **2a**, **3aa** were prepared by dissolving the sample (0.05 mmol) into 1,4-dioxane (5 mL) with 0.1 M tetrabutylammonium hexafluorophosphate (TBAPF₆). The potential range scanned was typically -2.5 V and 3.5 V at a 100 mV/s (Figure S6).

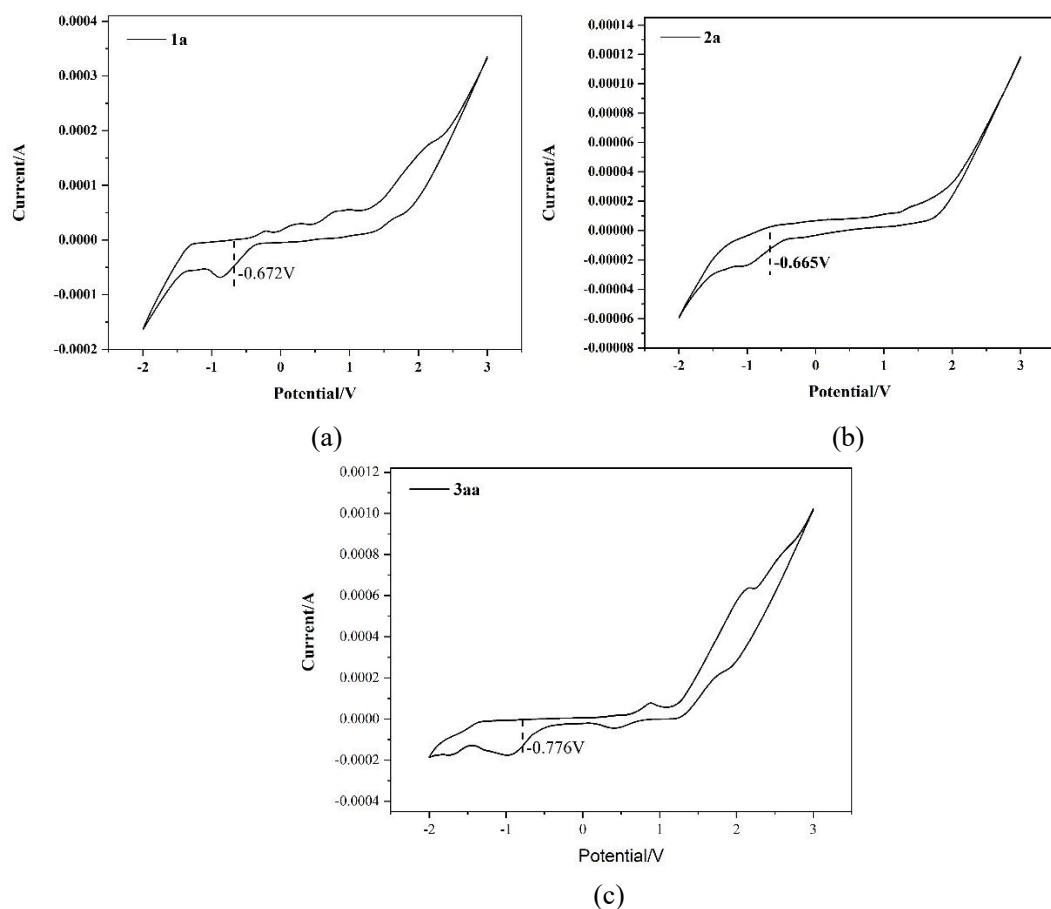
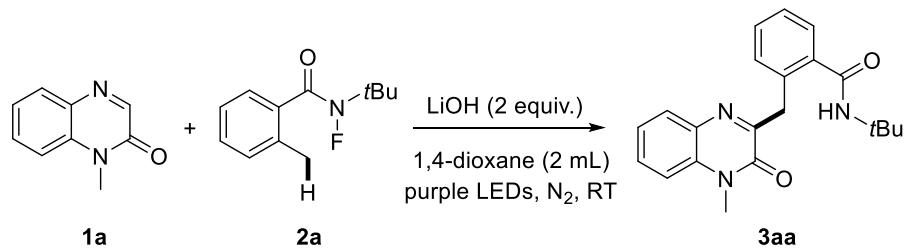


Figure S6 Cyclic voltammograms for (a) quinoxalin-2(1*H*)-one **1a** (b) *N*-fluorobenzamide **2a** (c) product **3aa**

5.8 Time profile of the transformation with the light ON/OFF over time

The standard reaction was set up on a 0.20 mmol scale according to the general procedure. After being irradiated for 6 h, an aliquot (100 μ L) from the reaction mixture was transferred into a nuclear magnetic tube charged with 0.55 mL of $\text{CDCl}_3\text{-}d_1$. The yield of product was determined by ^1H NMR. Then the reaction mixture was stirred for 2 h with light-off. All of the following yields were analyzed in the identical way after a 2 h light on or off.



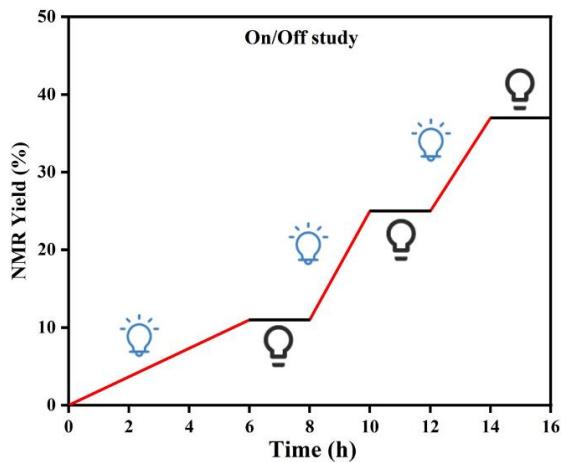


Figure S7 Time profile of the transformation with the light ON/OFF over time

5.9 The quantum yield experiment

Determination of the light intensity at 400 nm:

According to Yoon's procedure,^{7,8} the photon flux of the blue LED ($\lambda_{\text{max}} = 400 \text{ nm}$) was determined by standard ferrioxalate actinometry. Potassium ferrioxalate hydrate (2.21 g) was dissolved in H_2SO_4 (0.05 M, 30 mL) to prepare a solution of ferrioxalate (0.15 M). Phenanthroline (50 mg) and sodium acetate (11.25 g) were dissolved in 0.5 M H_2SO_4 (50 mL) to give a buffered solution of phenanthroline. The freshly prepared solutions were stored in dark. Then, the ferrioxalate solution (2.0 mL) was placed in a 3 mL cuvette and irradiated for 90.0 seconds at $\lambda_{\text{max}} = 400 \text{ nm}$ to determine the photon flux of the blue LED. After irradiation, the phenanthroline solution (0.35 mL) was added to the cuvette, and the resulting solution was then allowed to stand for 1 h to ensure the complete coordination of the ferrous ions to the phenanthroline. The absorbance of the solution was measured at 510 nm. Similarly, a non-irradiated sample was prepared, whose absorbance at 510 nm was also measured. The results were shown as below:

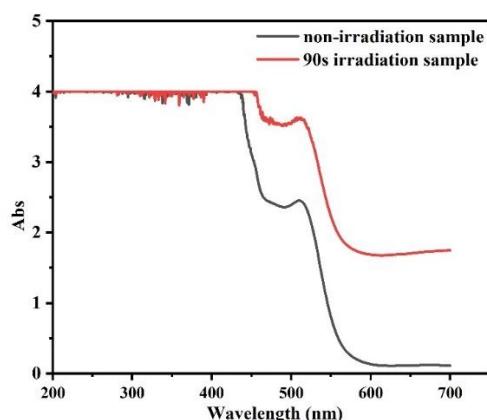


Figure S8 UV-vis spectrum of irradiation and non-irradiation sample

Conversion was calculated using equation 1.

$$mol Fe^{2+} = \frac{V \cdot \Delta A(510 \text{ nm})}{l \cdot \epsilon} = \frac{0.00235 \times 1.18}{1 \times 11100} = 2.5 \times 10^{-7} \quad (1)$$

Where V is the total volume (0.00235 L) of the solution after addition of phenanthroline, ΔA is the difference in absorbance at 510 nm between the irradiated and non-irradiated solutions, l is the path length (1.0 cm), and ϵ is the molar absorptivity at 510 nm ($11,100 \text{ L mol}^{-1} \text{ cm}^{-1}$). The photon flux can be calculated using equation 2.

$$\text{photon flux} = \frac{mol Fe^{2+}}{\Phi \cdot t \cdot f} = \frac{2.5 \times 10^{-7}}{1.13 \times 90 \times 1} = 2.46 \times 10^{-9} \quad (2)$$

Where Φ is the quantum yield for the ferrioxalate actinometer (1.13 for a 0.15 M solution at $\lambda = 400 \text{ nm}$), t is the time (90 s), and f is the fraction of light absorbed at $\lambda = 400 \text{ nm}$ (1, *vide infra*). This value is calculated using equation 3 where A is the absorbance of the ferrioxalate solution at 400 nm. An absorption spectrum gave an A value of >3, indicating that the fraction of absorbed light (f) is > 0.999.

$$f = 1 - 10^{-A} \quad (3)$$

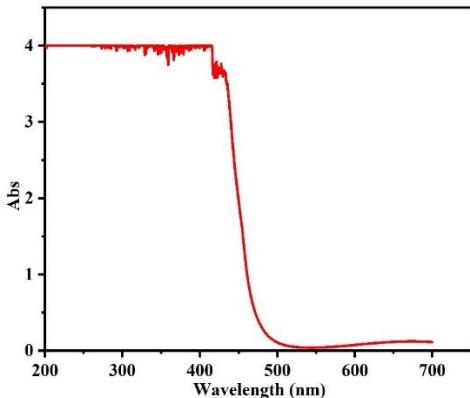


Figure S9 UV-vis spectrum of ferrioxalate actinometer solution

Determination of the reaction quantum yield (Φ):

Three parallel standard reactions were proceeded on a 0.10 mmol scale according to the general procedure. The standard reaction was stirred and irradiated (24 W purple LEDs, $\lambda = 400 \text{ nm}$) at room temperature for 8 h. The yield of three parallel standard reactions was determined by ^1H NMR. All of the following NMR yields were afford 26%, 28%, and 30% respectively, therefore, the average yield was obtained 28%. The quantum yield for the reaction was calculated using equation 4. The reaction quantum yield (Φ) was thus determined to be **1.52**.

$$\Phi = \frac{\text{mol of product formed}}{\text{photon flux} \cdot t \cdot f} = \frac{2.8 \times 10^{-5}}{2.46 \times 10^{-9} \times 8 \times 60 \times 60 \times 0.26} = 1.52 \quad (4)$$

where photon flux was determined as above described, t is the reaction time, f is the fraction of incident light absorbed by the reaction mixture. This value is calculated using equation 3 where A is the absorbance of the reaction mixture at 400 nm. The absorbance of the reaction mixture at 400 nm was measured to be 0.13, so the value of f is 0.26.

6. X-Ray Structures of Products 3ta

X-ray crystallography of **3ta**

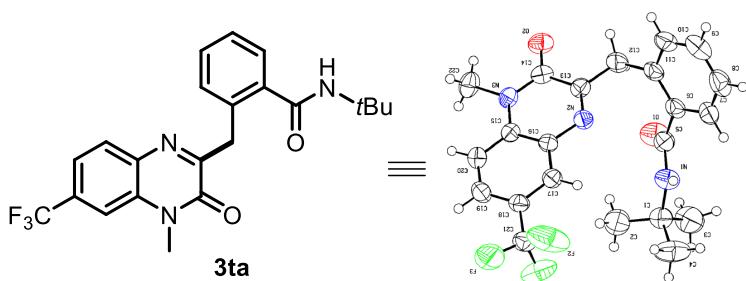


Figure S10. ORTEP diagram (50% probability) of **3ta**

A single crystal of **3ta** was obtained *via* evaporation of its hexanes/dichloromethane solvent mixture. A suitable crystal of **3ta** was selected and analyzed by an Agilent Gemini X-ray Single Crystal Diffractometer. Using Olex2⁵, the structure was solved with the ShelXT⁴ structure solution program using Direct Methods and refined with the ShelXL⁶ refinement package using Least Squares minimization. Details of the crystal, data collection, and structure refinement parameters for crystallographic analysis of **3ta** are summarized in **Table S4**. Crystallographic data (CCDC 2172709) for **3ta** can be obtained free of charge from the Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

Table S4. Parameters for crystallographic analysis of **3ta**

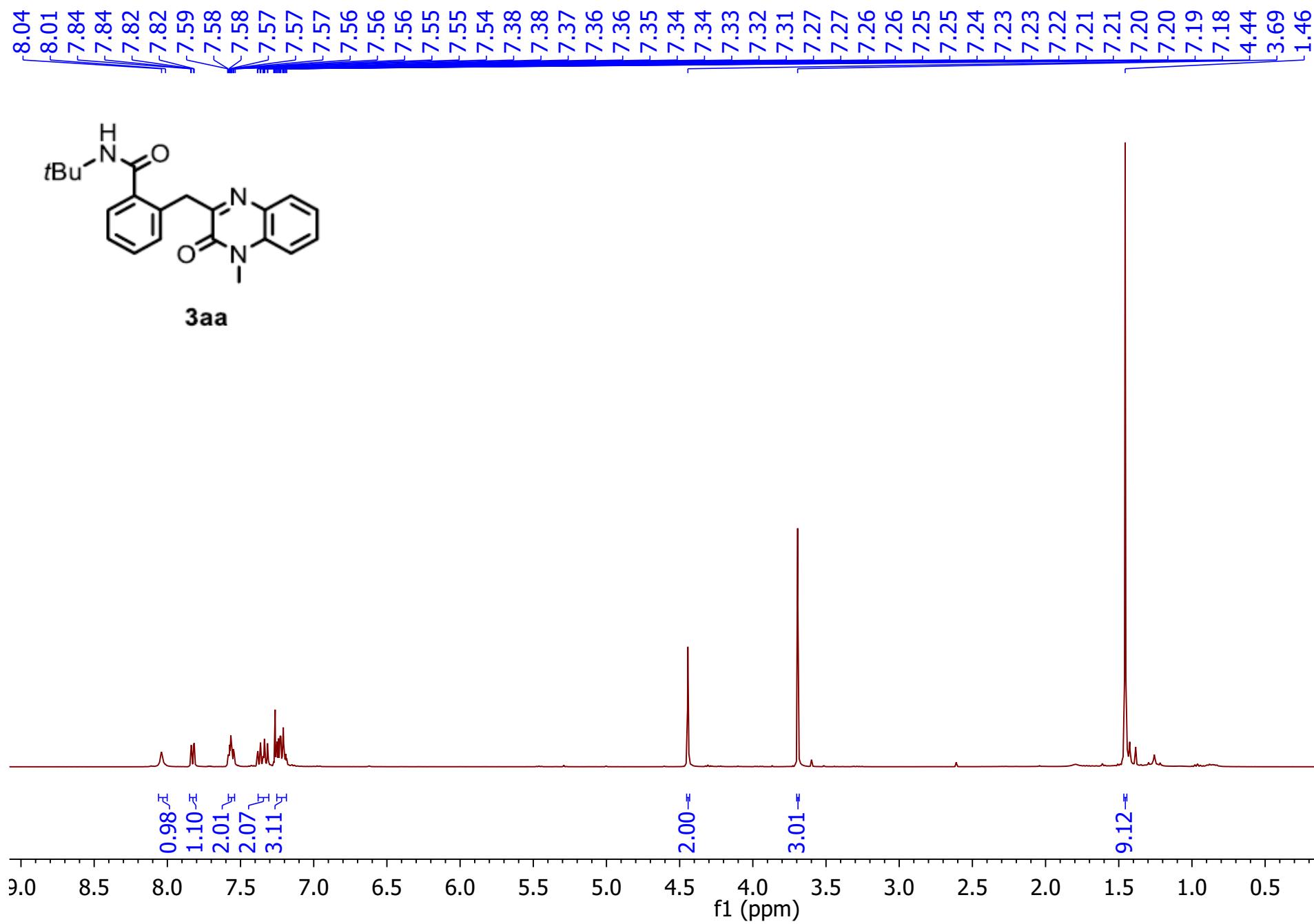
Identification code	1_a		
Empirical formula	C ₂₂ H ₂₂ F ₃ N ₃ O ₂		
Formula weight	417.42		
Temperature	296(2) K		
Wavelength	0.71073 Å		
Crystal system	Monoclinic		
Space group	Cc		
Unit cell dimensions	a = 8.6009(12) Å	α= 90°.	
	b = 21.017(3) Å	β= 95.421(6)°	
	c = 11.5416(15) Å	γ = 90°	
Volume	2079.4(5) Å ³		
Z	4		
Density (calculated)	1.333 Mg/m ³		
Absorption coefficient	0.105 mm ⁻¹		
F(000)	872		
Crystal size	0.200 x 0.200 x 0.200 mm ³		
Theta range for data collection	2.566 to 25.263°.		
Index ranges	-10<=h<=9, -25<=k<=24, -13<=l<=13		
Reflections collected	20545		

Independent reflections	3589 [R(int) = 0.0565]
Completeness to theta = 25.242°	99.6 %
Absorption correction	Semi-empirical from equivalents
Refinement method	Full-matrix least-squares on F ²
Data / restraints / parameters	3589 / 2 / 275
Goodness-of-fit on F ²	1.061
Final R indices [I>2sigma(I)]	R1 = 0.0520, wR2 = 0.1060
R indices (all data)	R1 = 0.0910, wR2 = 0.1244
Absolute structure parameter	-0.6(5)
Extinction coefficient	n/a
Largest diff. peak and hole	0.210 and -0.208 e.Å ⁻³

7. References

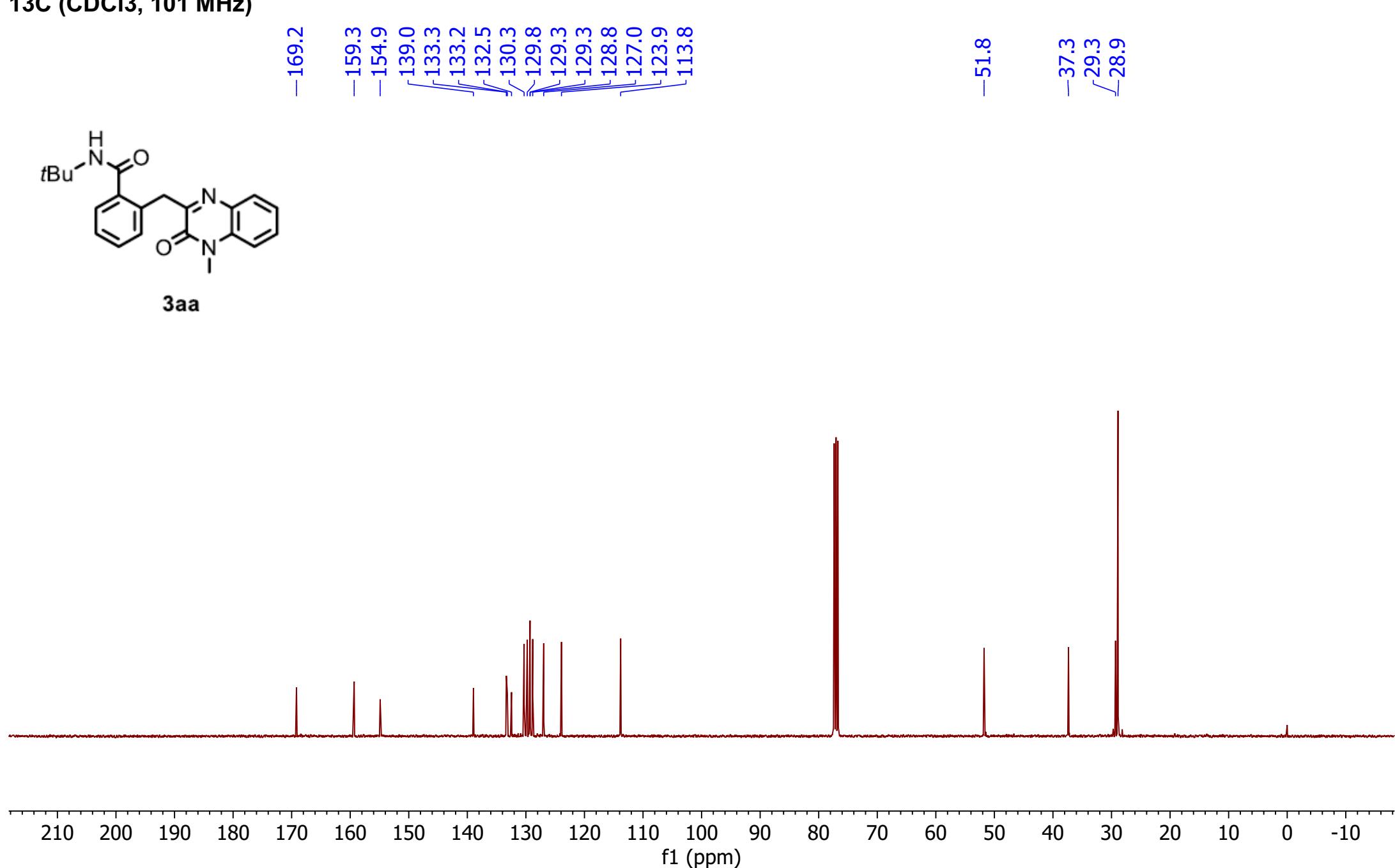
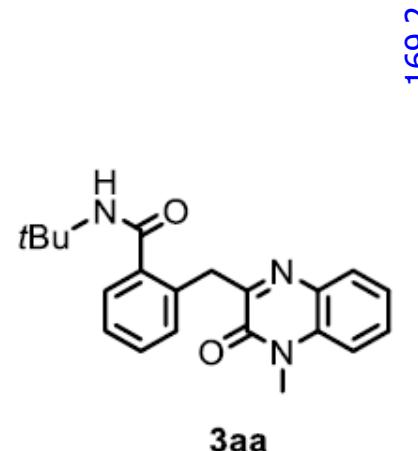
1. Ghosh, P.; Kwon, N. Y.; Kim, S.; Han, S.; Lee, S. H.; An, W.; Mishra, N. K.; Han, S. B.; Kim, I. S. *Angew. Chem., Int. Ed.* **2021**, *60*, 191.
2. Groendyke, B. J.; AbuSalim, D. I.; Cook, S. P. *J. Am. Chem. Soc.* **2016**, *138*, 12771.
3. Li, Z. D.; Wang, Q. Zhu, J. P. *Angew. Chem. Int. Ed.* **2018**, *57*, 13288.
4. Dolomanov, O. V.; Bourhis, L. J.; Gildea, R. J.; Howard, J. A. K.; Puschmann, H. *J. Appl. Crystallogr.* **2009**, *42*, 339.
5. Sheldrick, G. M. *Acta Crystallogr. Sect. A* **2015**, *71*, 3.
6. Sheldrick, G. M. *Acta Crystallogr. Sect. C* **2015**, *71*, 3.
7. Lin, S.; Ischay, M. A.; Fry, C. G.; Yoon, T. P. *J. Am. Chem. Soc.* **2011**, *133*, 19350.
8. Cismesia, M. A.; Yoon, T. P. *Chem. Sci.* **2015**, *6*, 5426.

¹H (CDCl₃, 400 MHz)

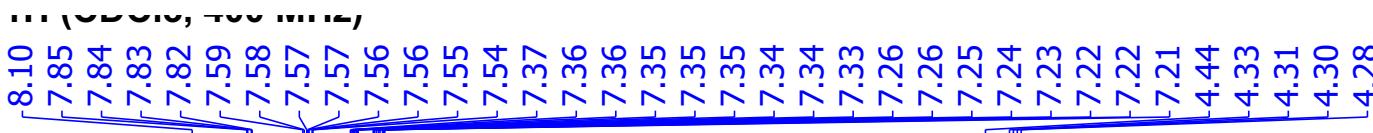


¹H NMR Spectrum of **3aa**

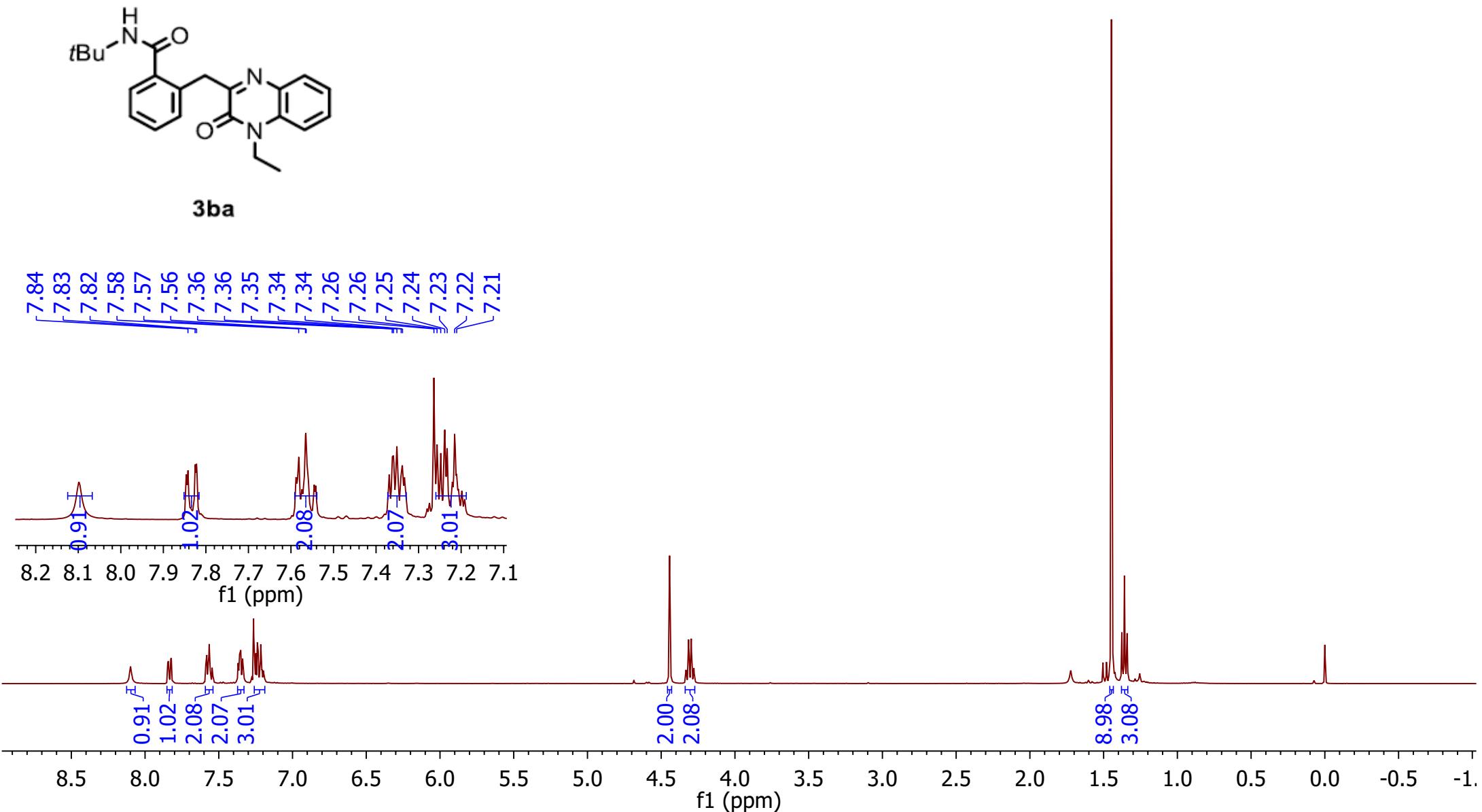
¹³C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of **3aa**

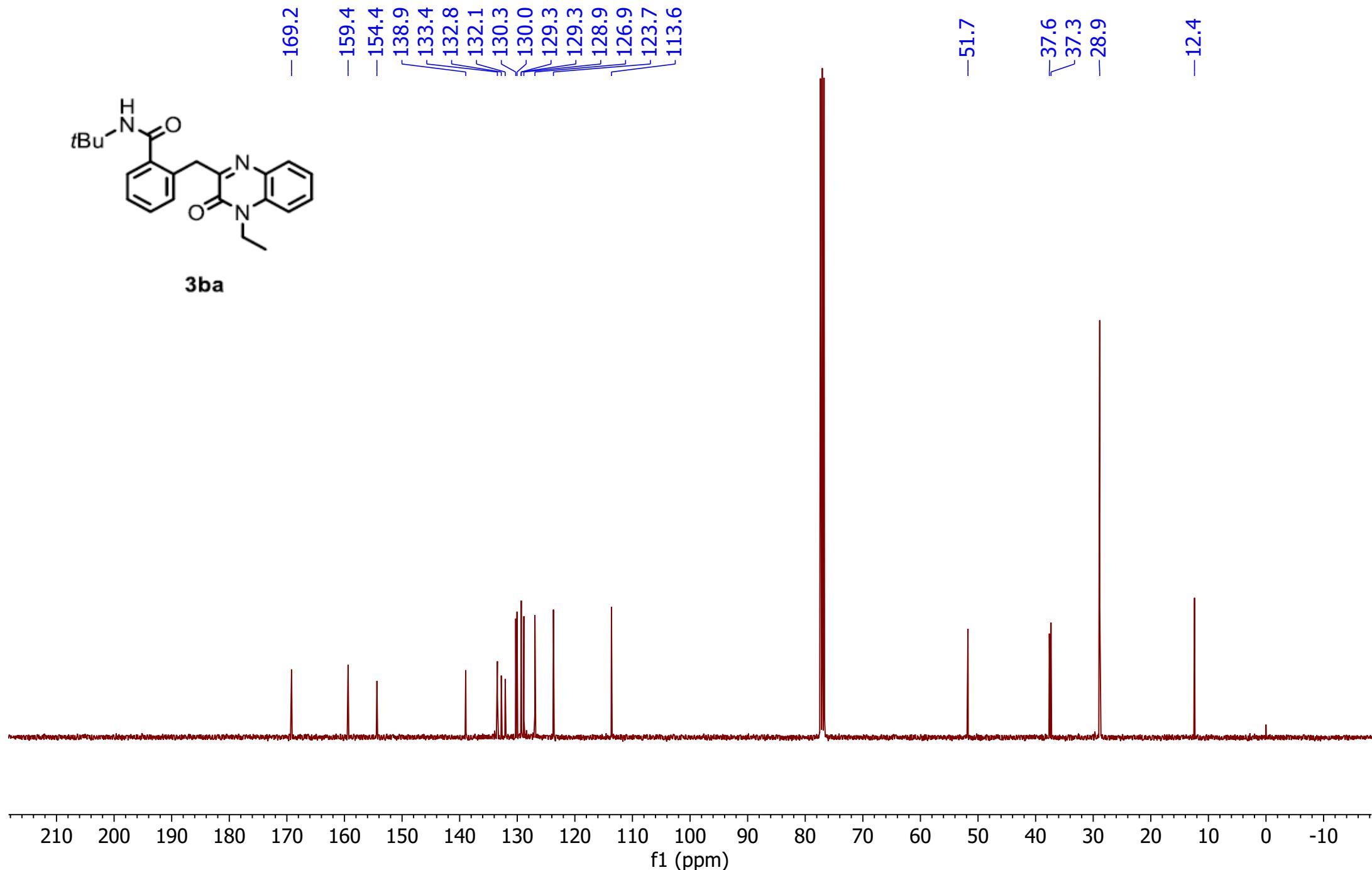
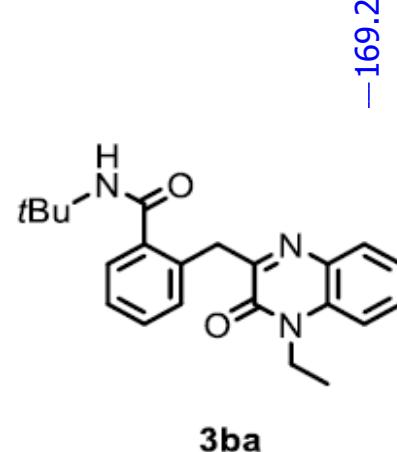


3ba



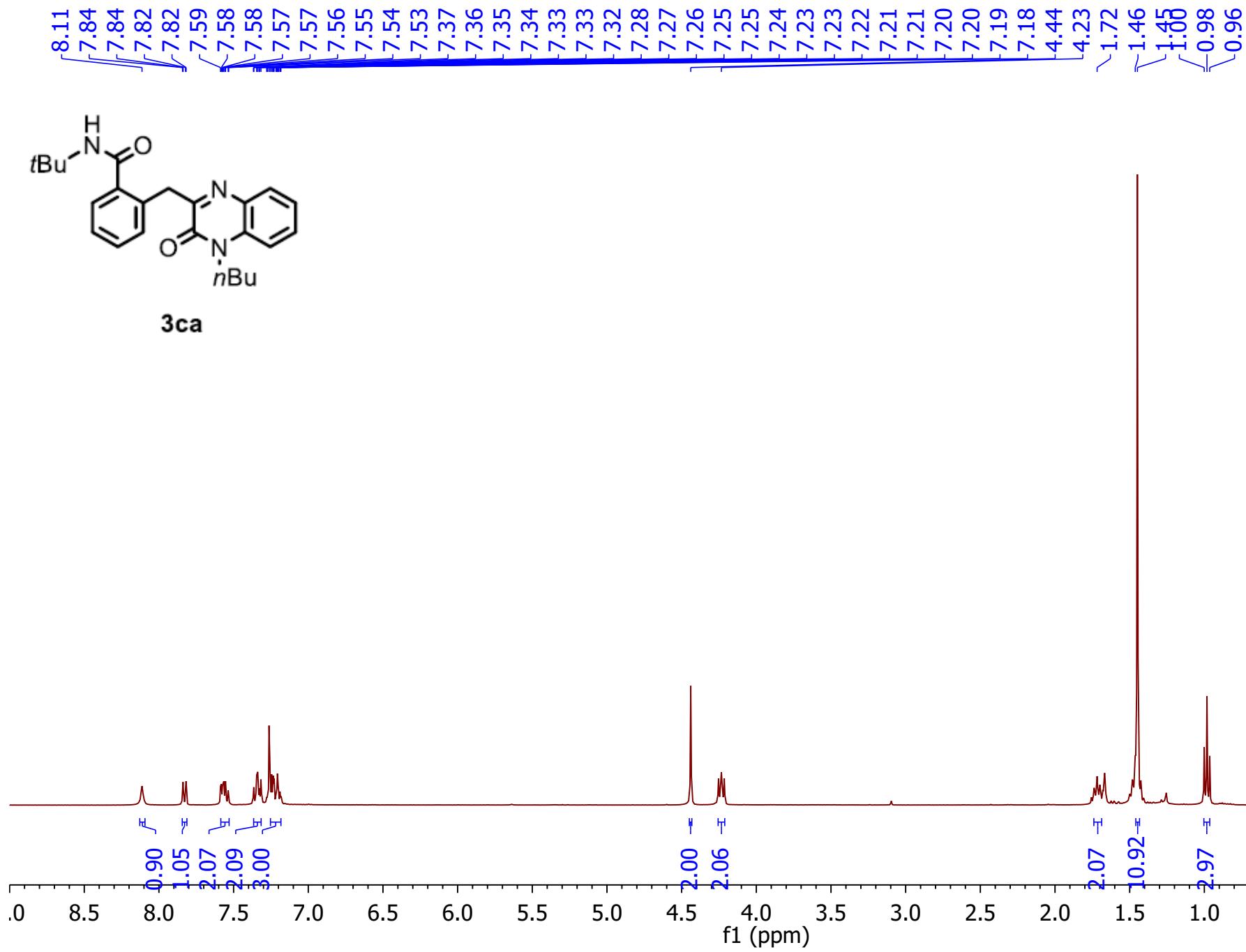
^1H NMR Spectrum of **3ba**

¹³C (CDCl₃, 101 MHz)



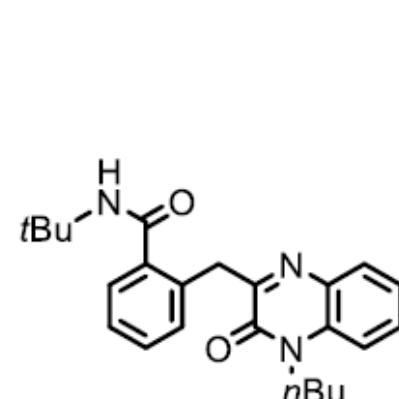
¹³C NMR Spectrum of **3ba**

1H (CDCl₃, 400 MHz)

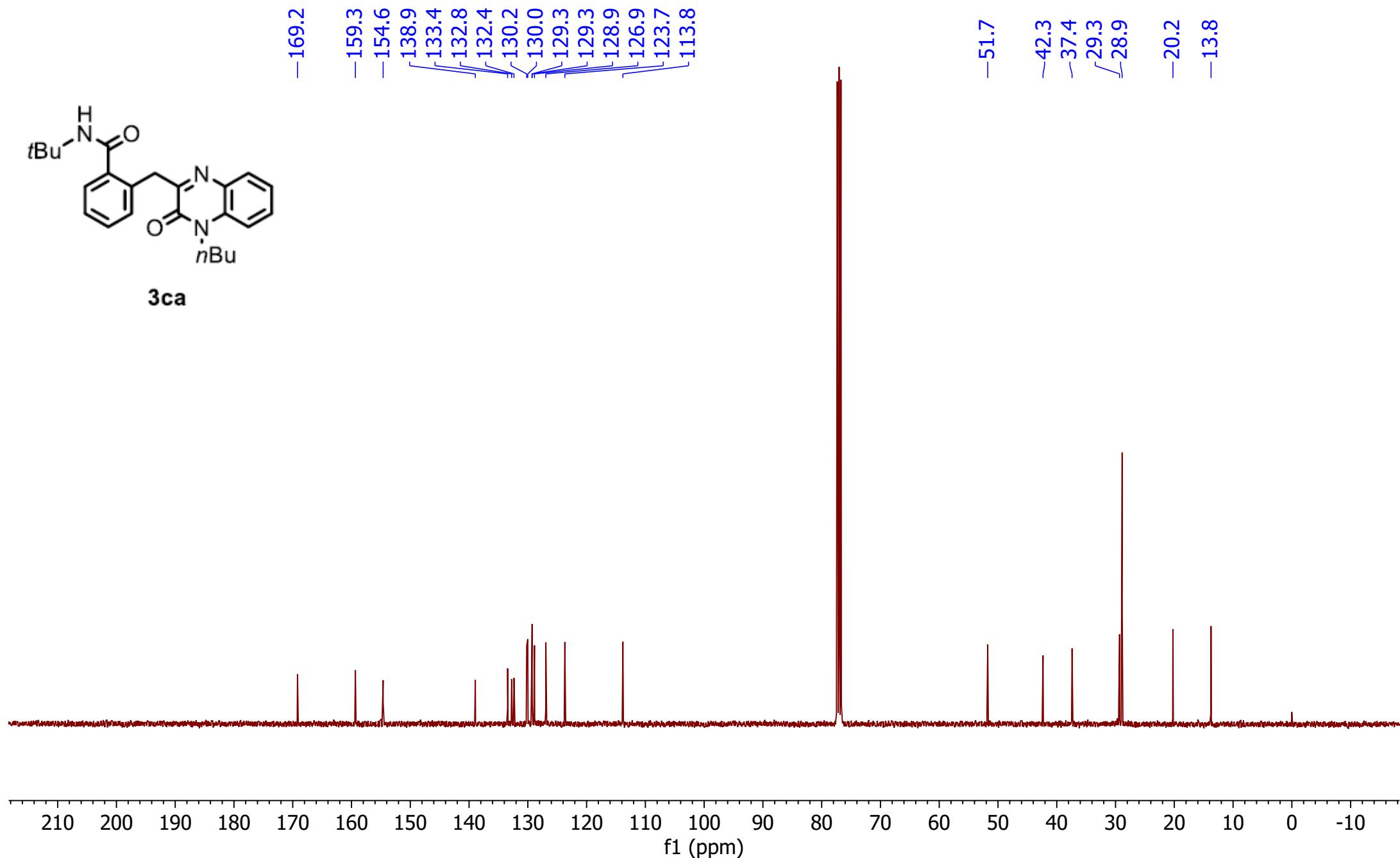


¹H NMR Spectrum of 3ca

¹³C (CDCl₃, 101 MHz)

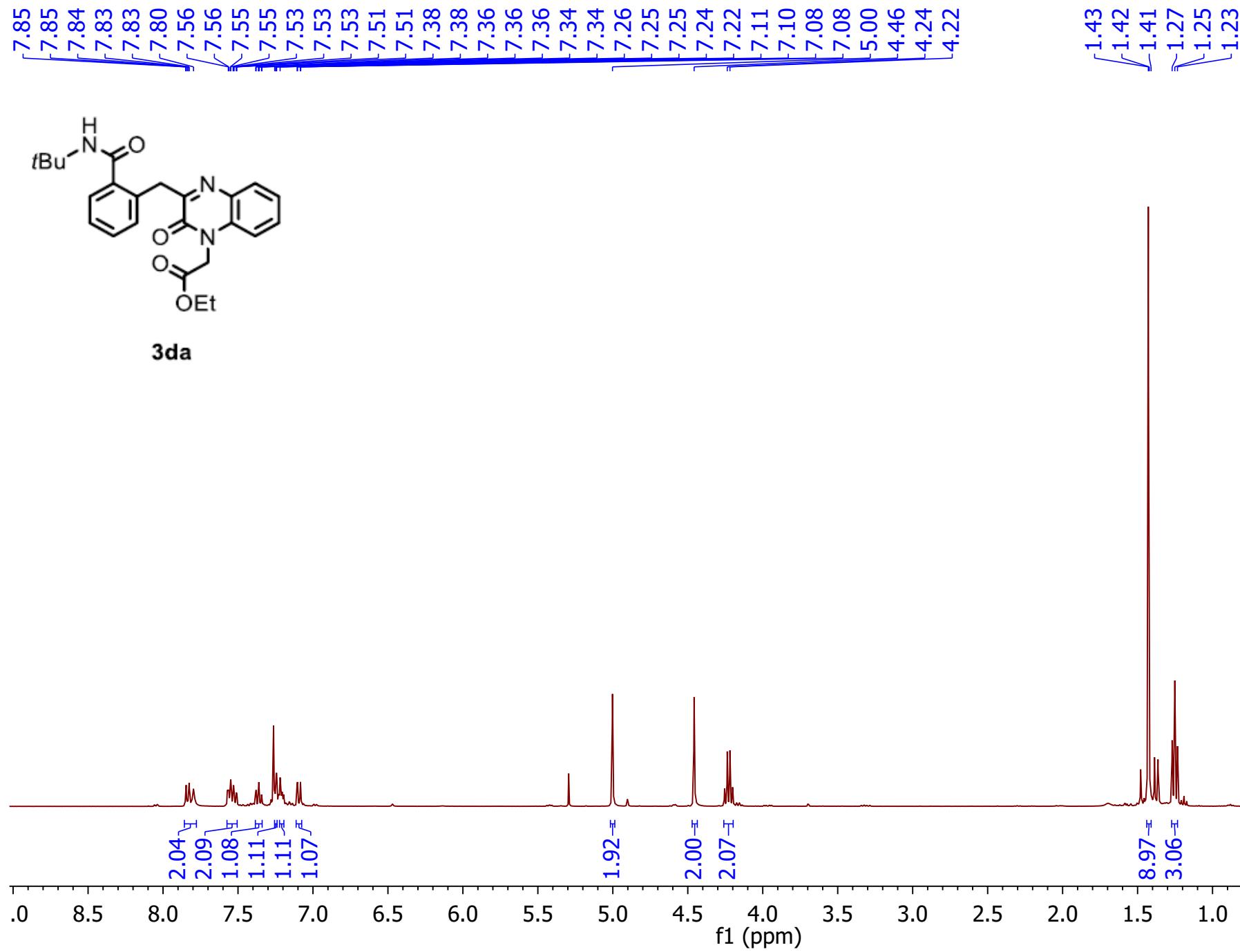


3ca



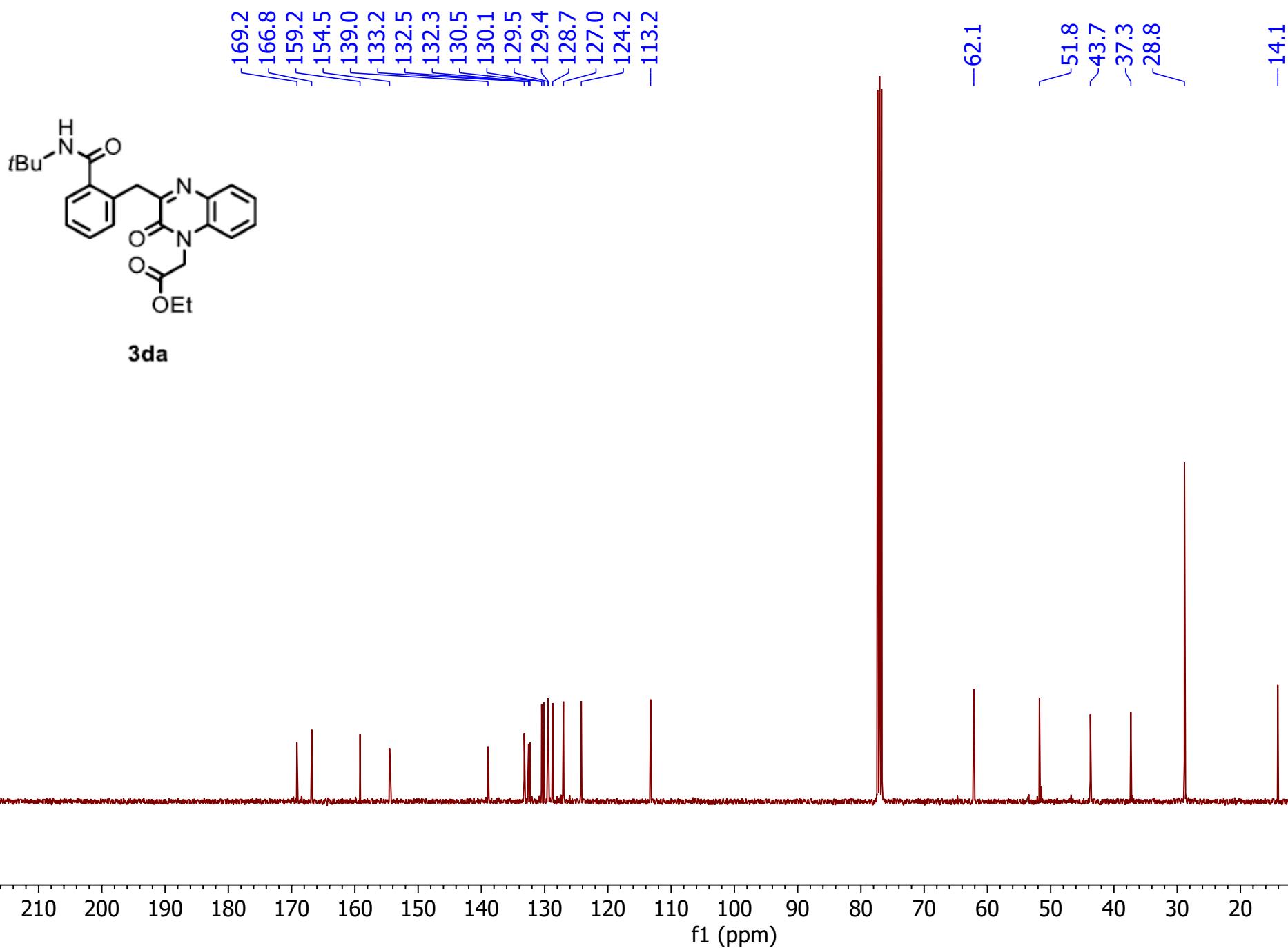
¹³C NMR Spectrum of **3ca**

1H (CDCl₃, 400 MHz)



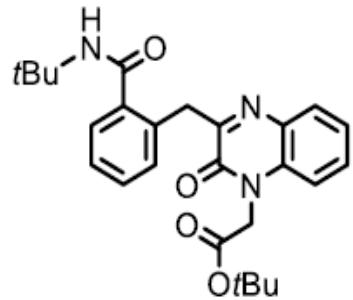
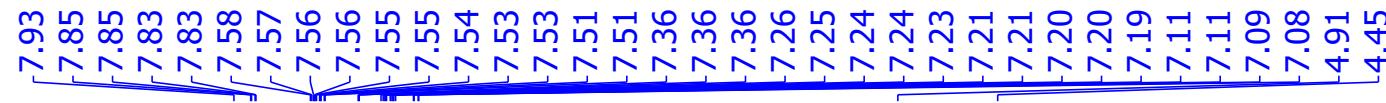
¹H NMR Spectrum of 3da

¹³C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of 3da

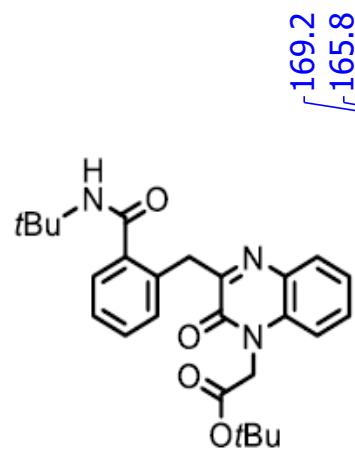
1H (CDCl₃, 400 MHz)



3ea

¹H NMR Spectrum of **3ea**

¹³C (CDCl₃, 101 MHz)

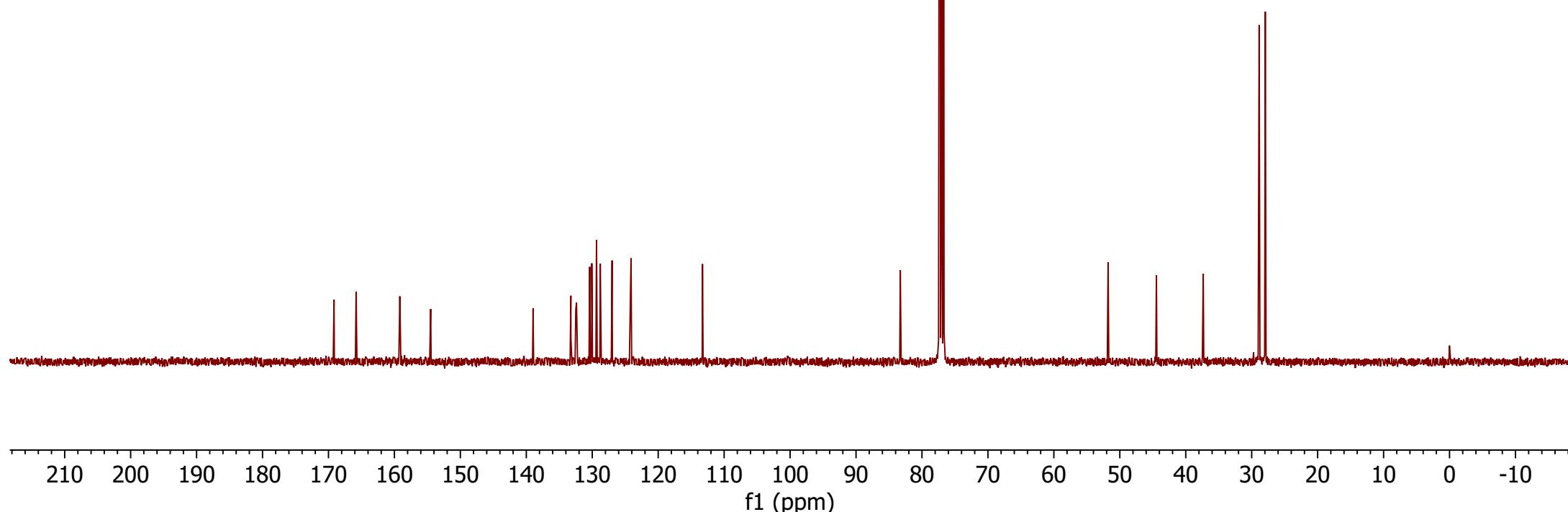


3ea

169.2
165.8
159.2
154.5
139.0
133.2
132.5
132.4
130.4
130.1
129.4
129.3
128.8
127.0
124.1
-113.3

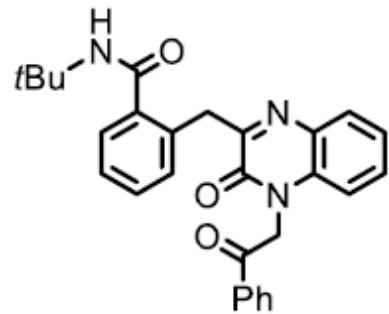
-83.3

51.8
44.4
37.3
28.9
27.9



¹³C NMR Spectrum of 3ea

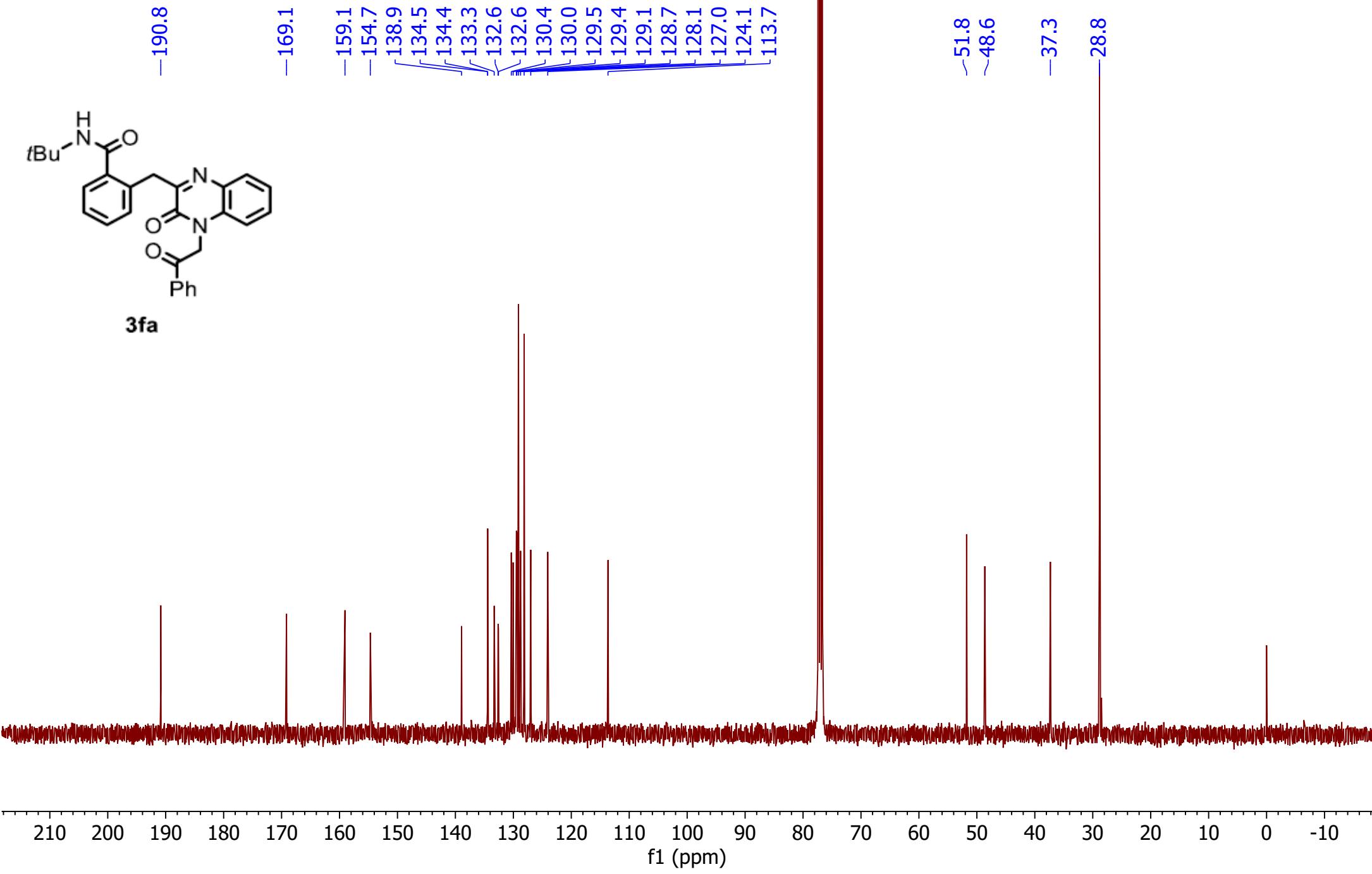
1H (CDCl₃, 400 MHz)



3fa

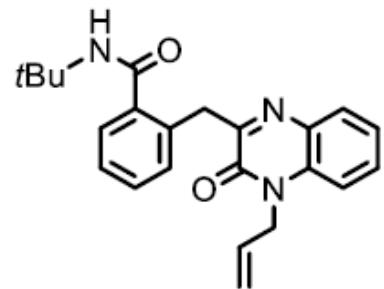
¹H NMR Spectrum of **3fa**

13C (CDCl₃, 101 MHz)

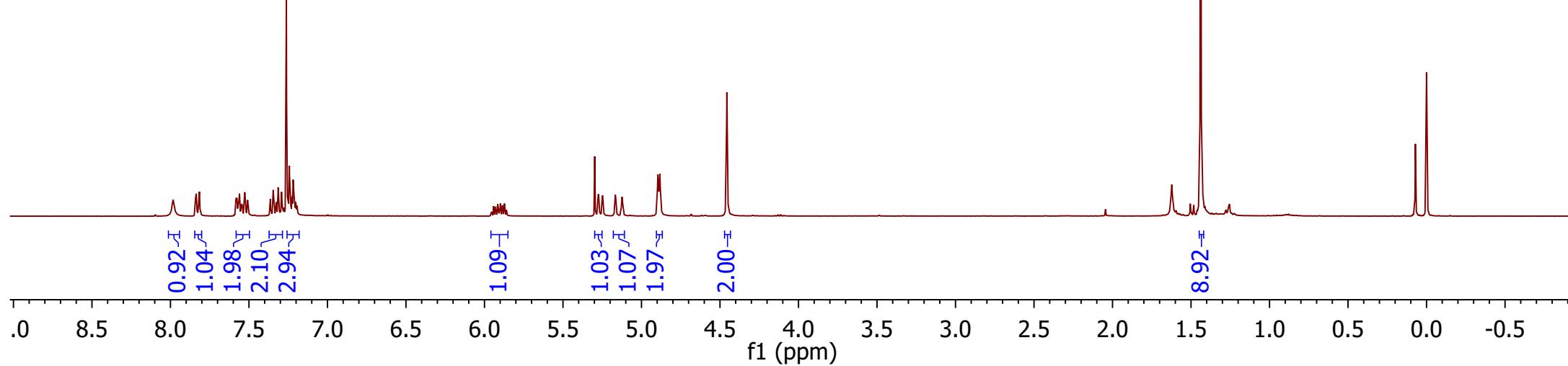


¹³C NMR Spectrum of **3fa**

1H (CDCl₃, 400 MHz)

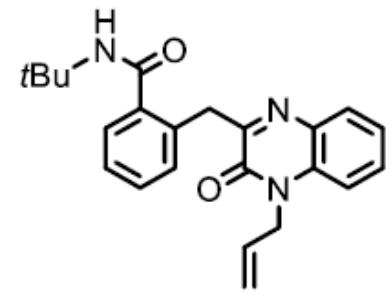


3ga

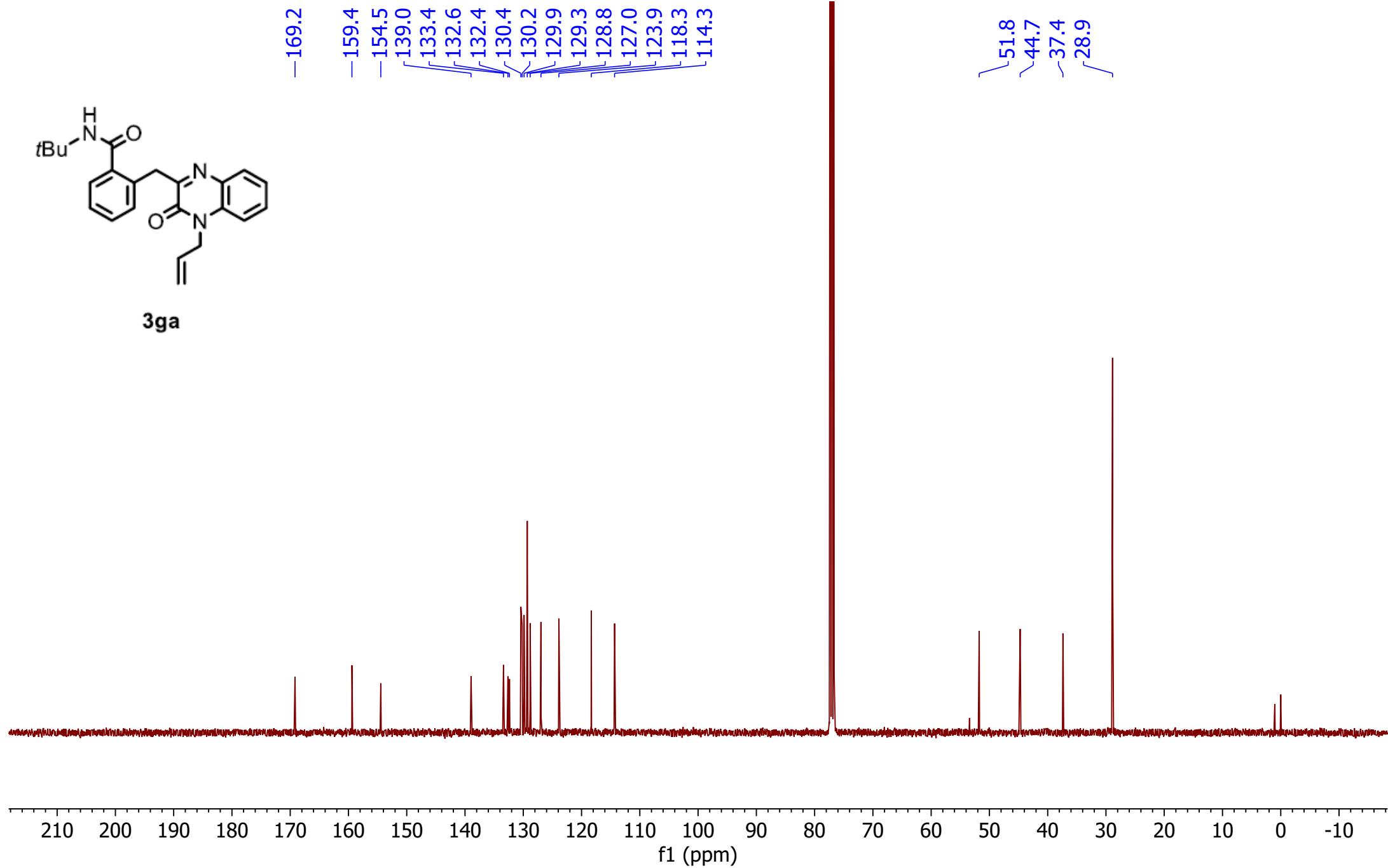


¹H NMR Spectrum of **3ga**

¹³C (CDCl₃, 101 MHz)

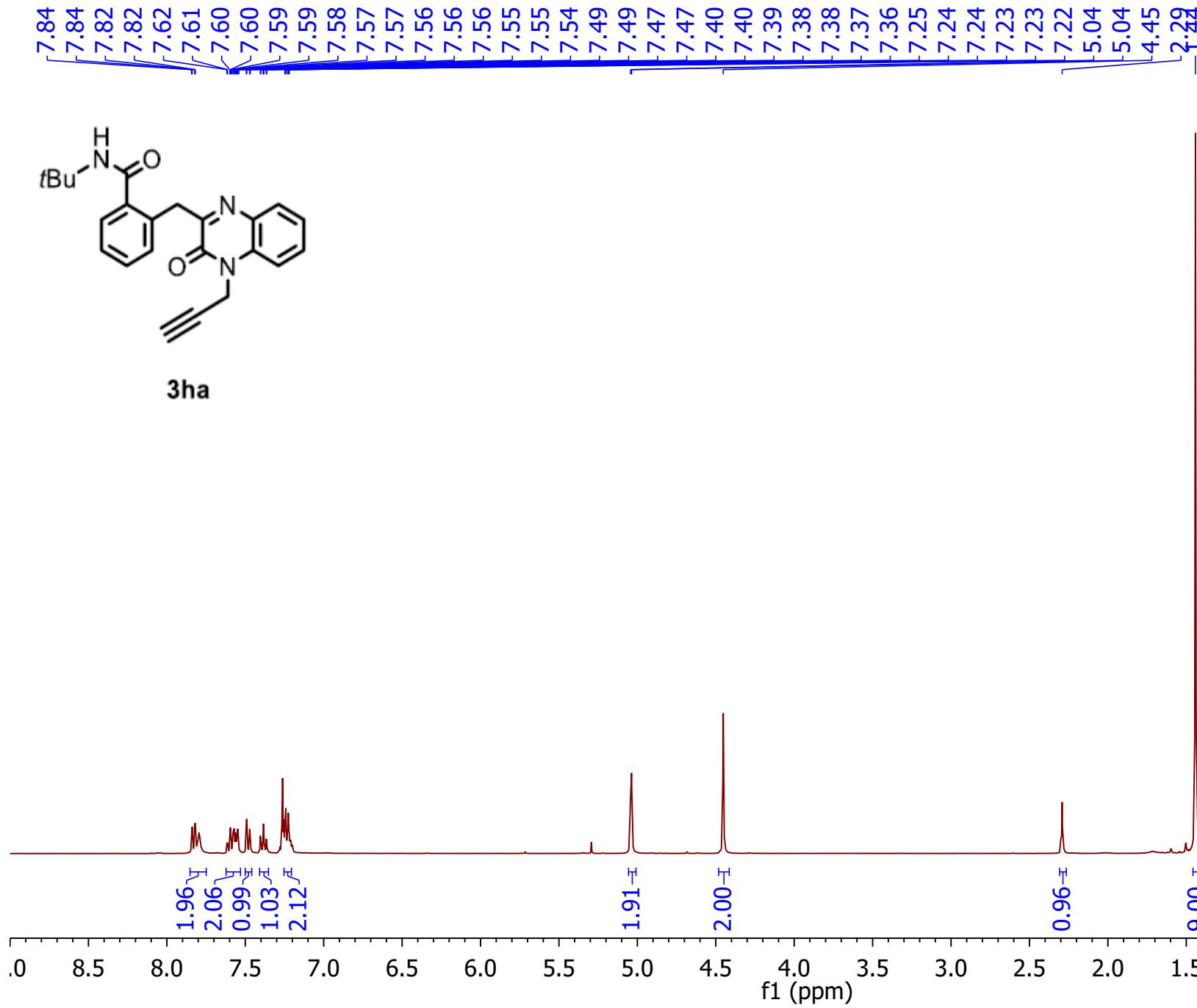


3ga



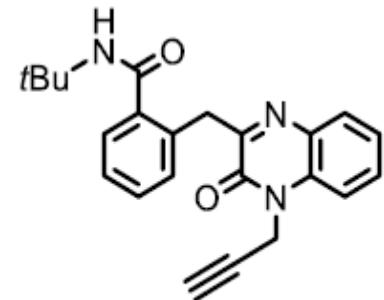
¹³C NMR Spectrum of 3ga

1H (CDCl₃, 400 MHz)

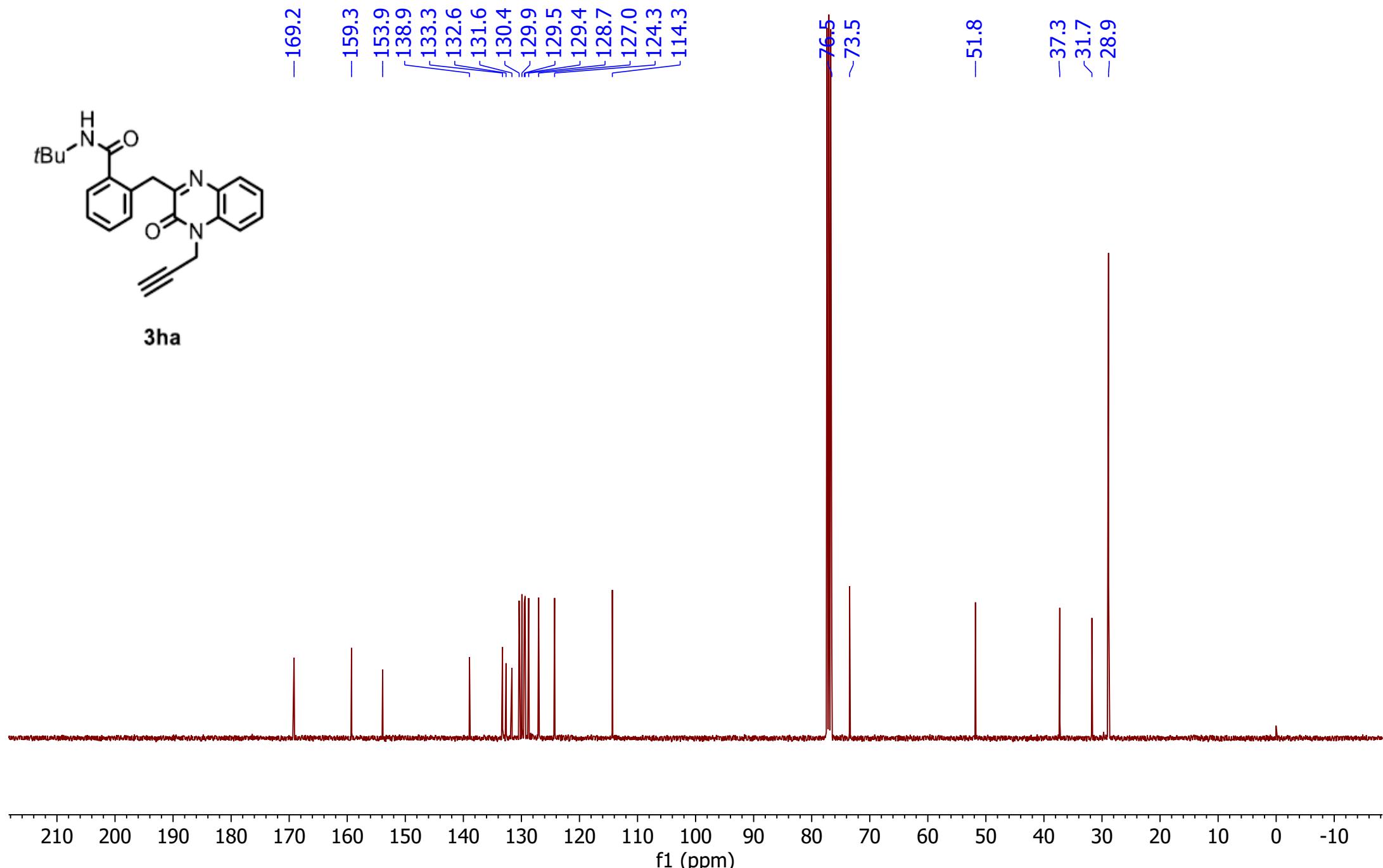


¹H NMR Spectrum of **3ha**

¹³C (CDCl₃, 101 MHz)

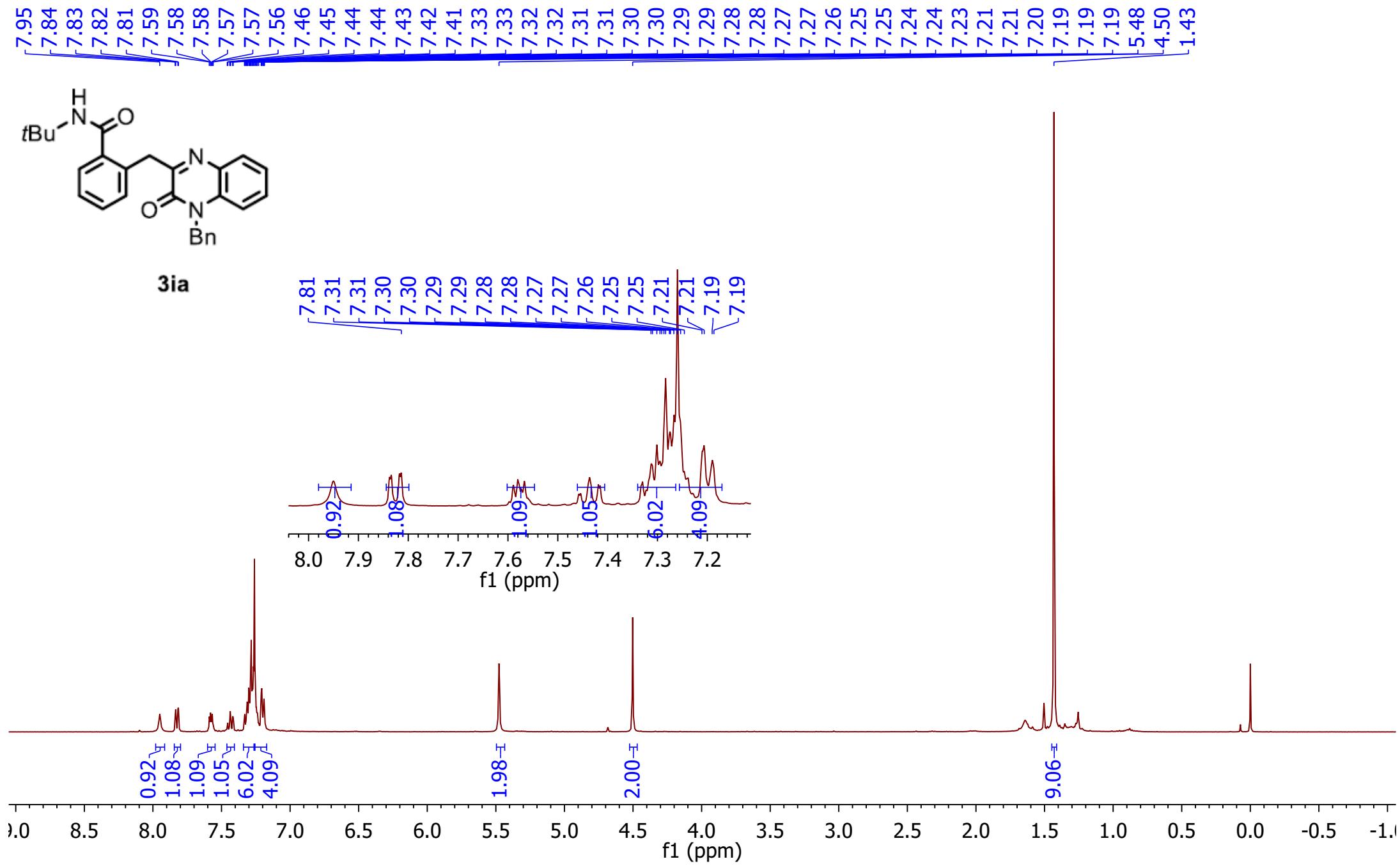


3ha



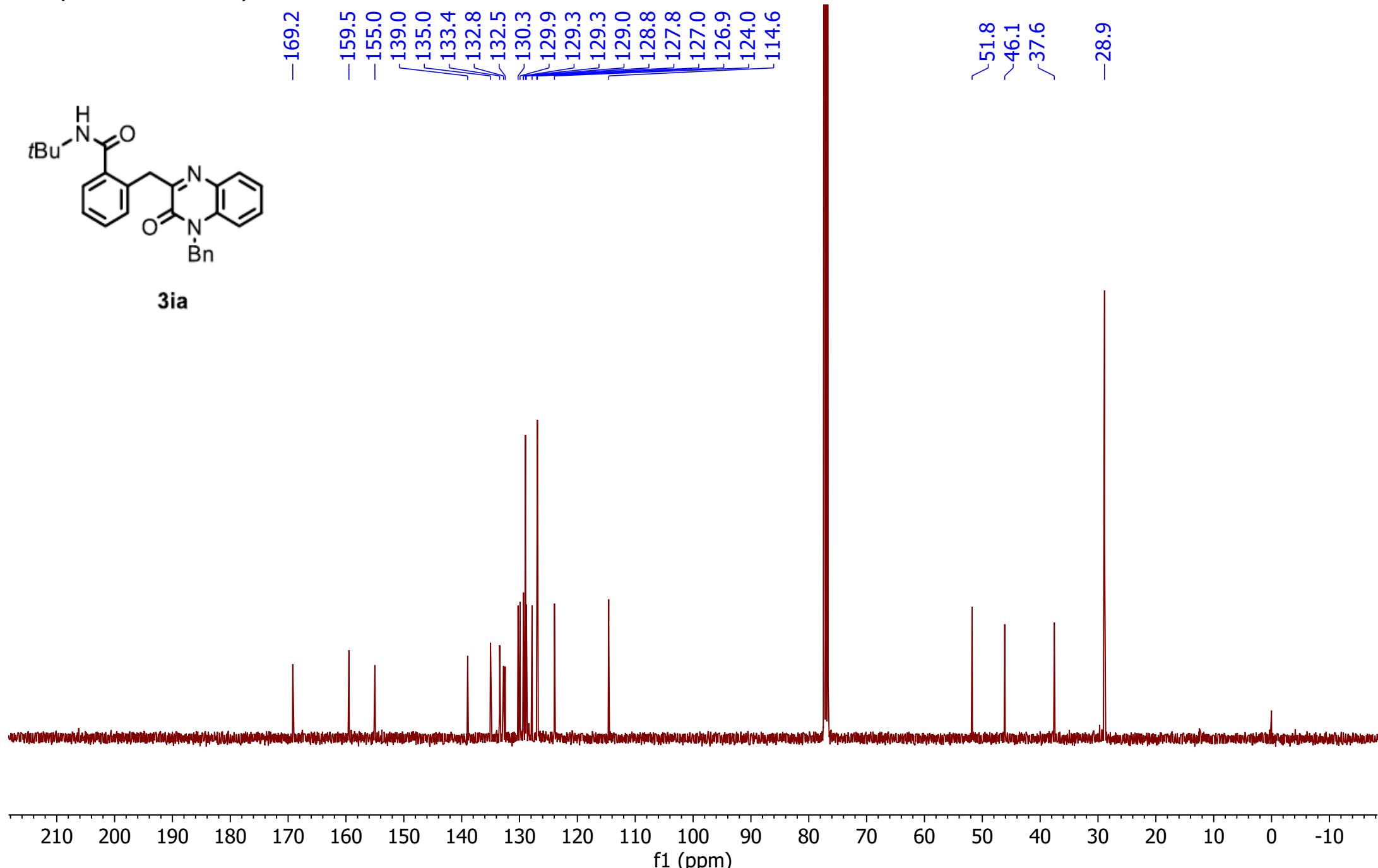
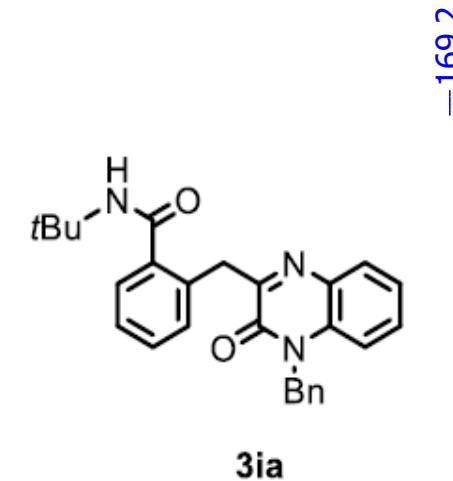
¹³C NMR Spectrum of 3ha

¹H (CDCl₃, 400 MHz)



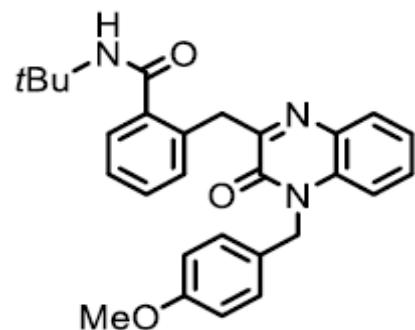
¹H NMR Spectrum of **3ia**

13C (CDCl₃, 101 MHz)

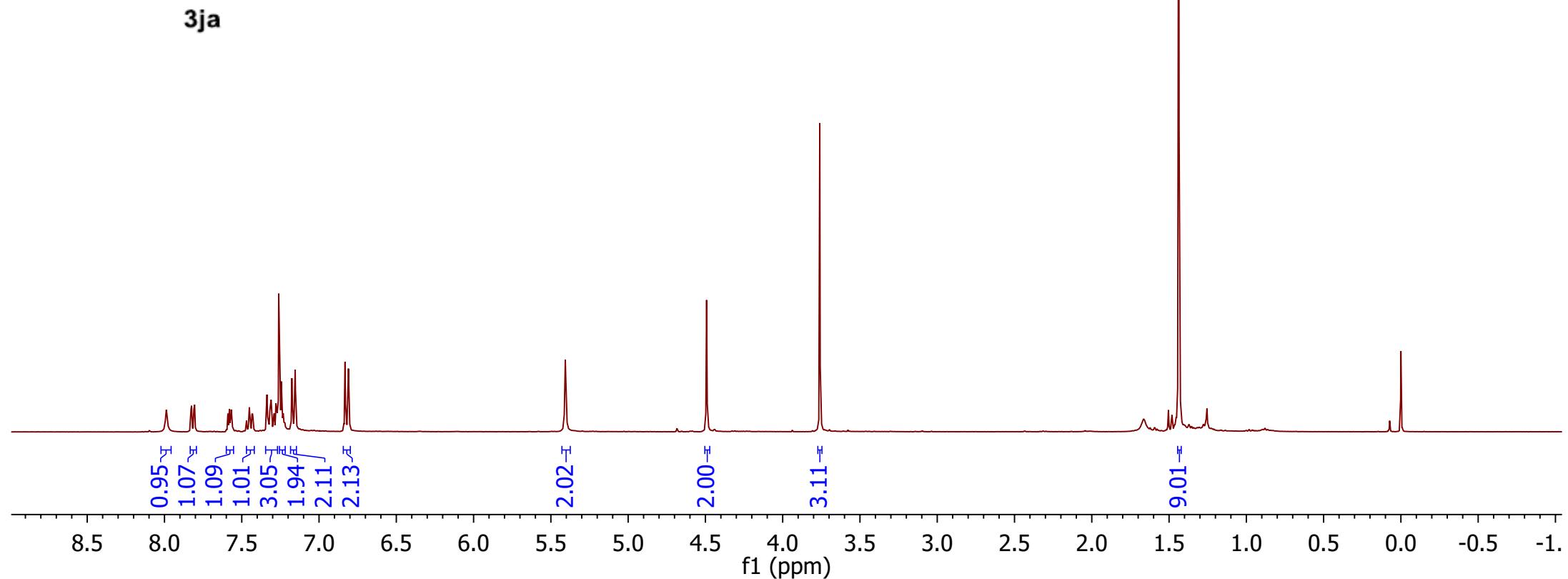


¹³C NMR Spectrum of 3ia

1H (CDCl₃, 400 MHz)

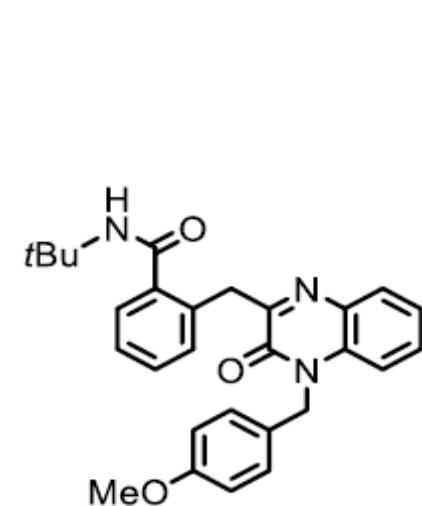


3ja

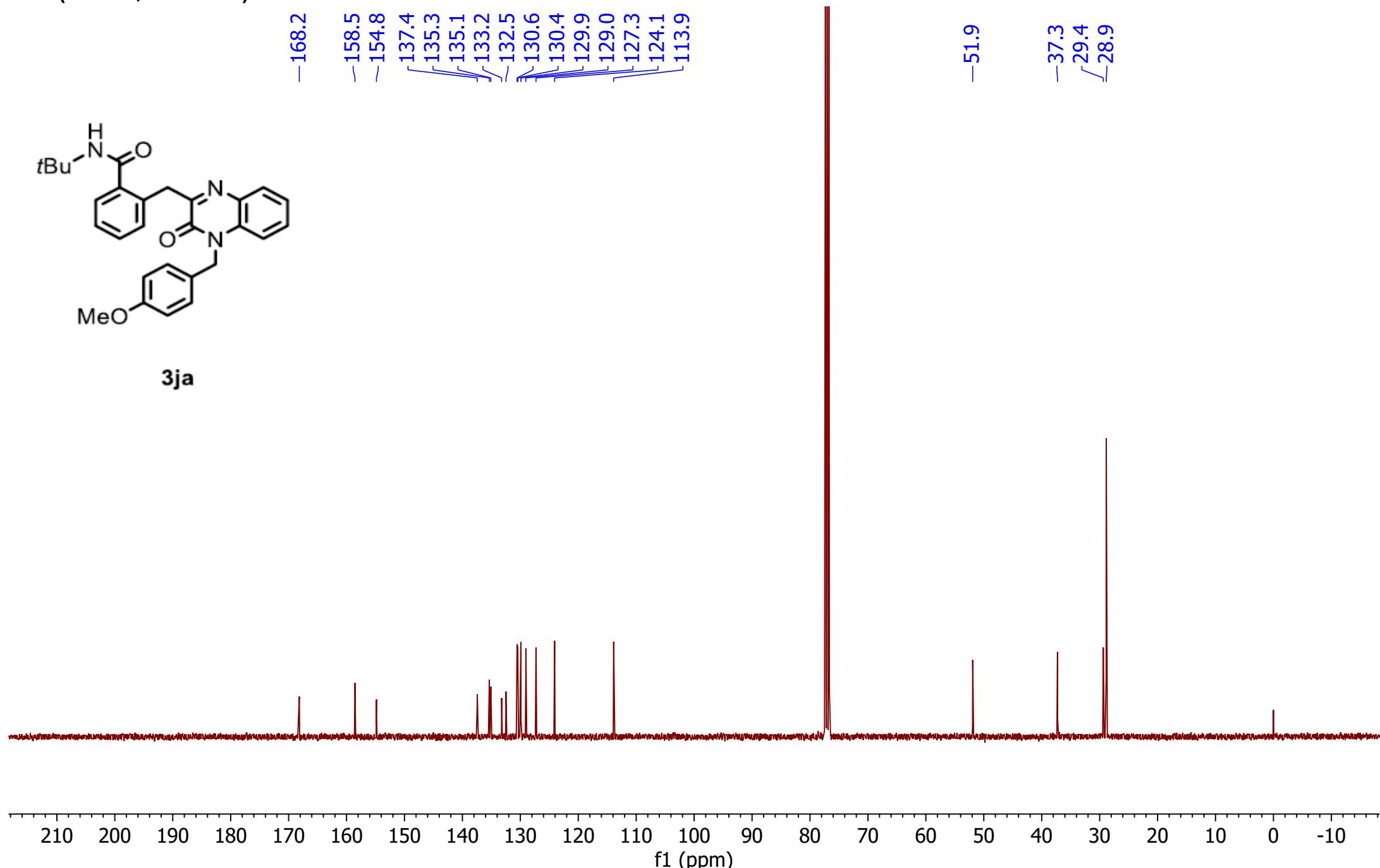


¹H NMR Spectrum of 3ja

¹³C (CDCl₃, 101 MHz)

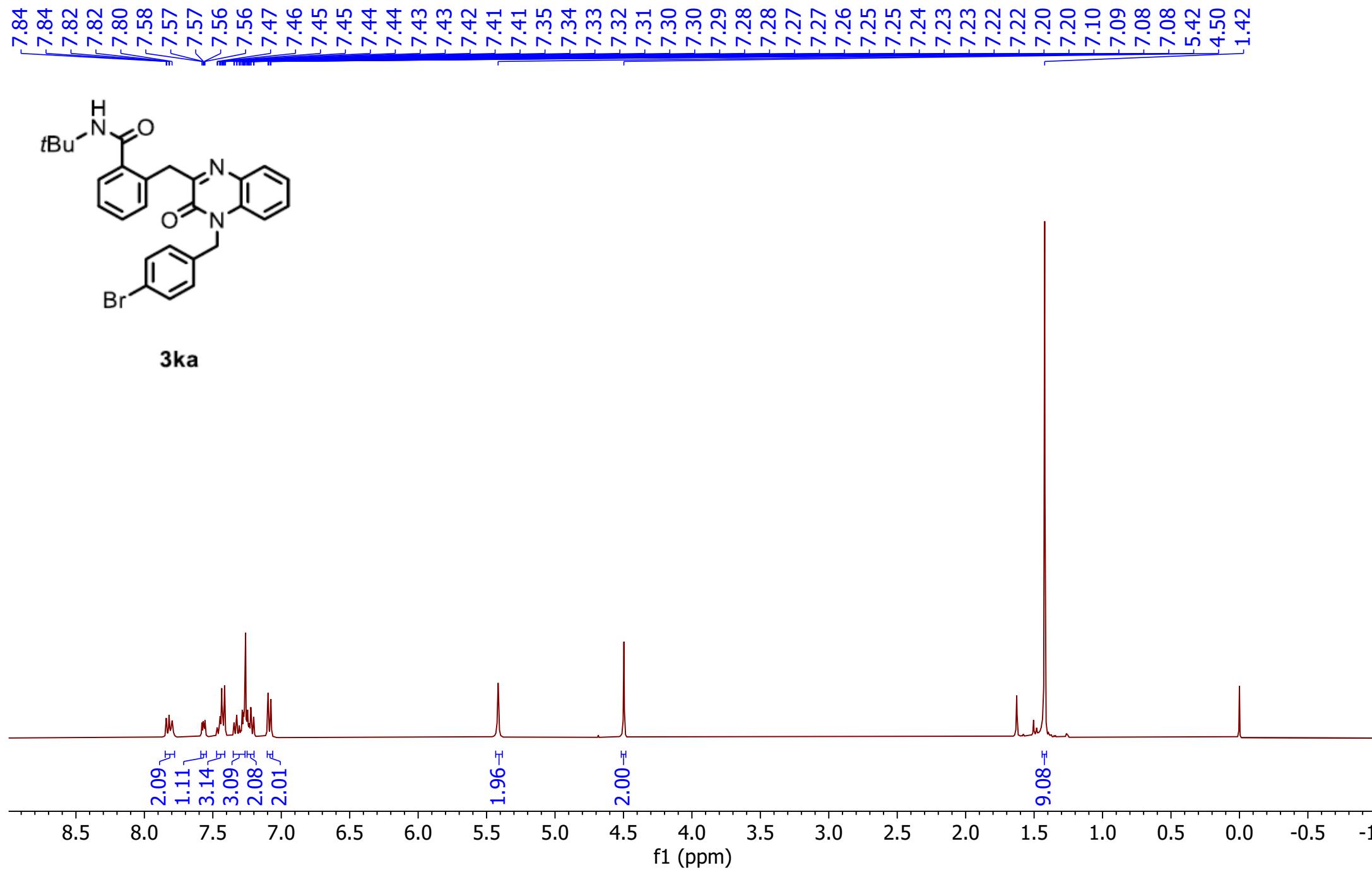


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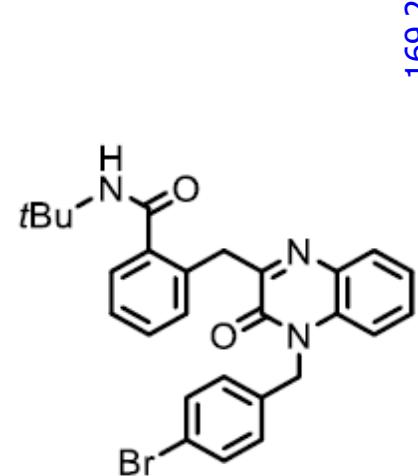
¹³C NMR Spectrum of 3ja

1H (CDCl₃, 400 MHz)

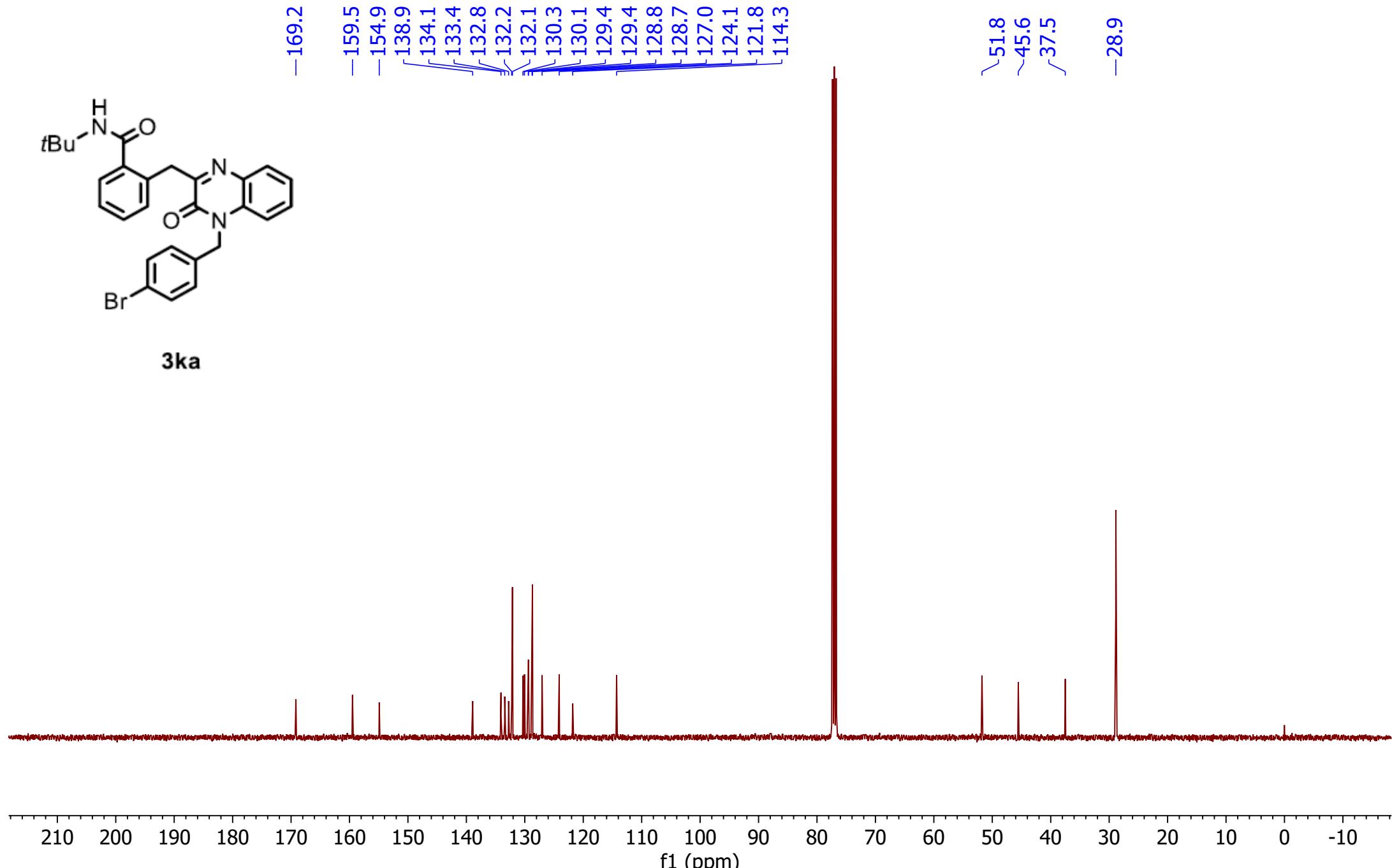


¹H NMR Spectrum of **3ka**

¹³C (CDCl₃, 101 MHz)

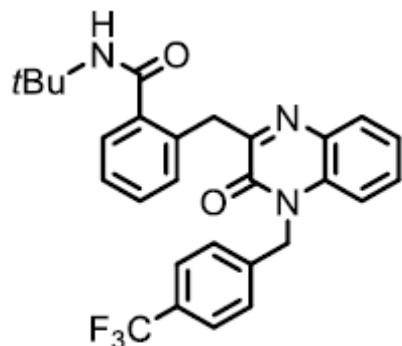


3ka

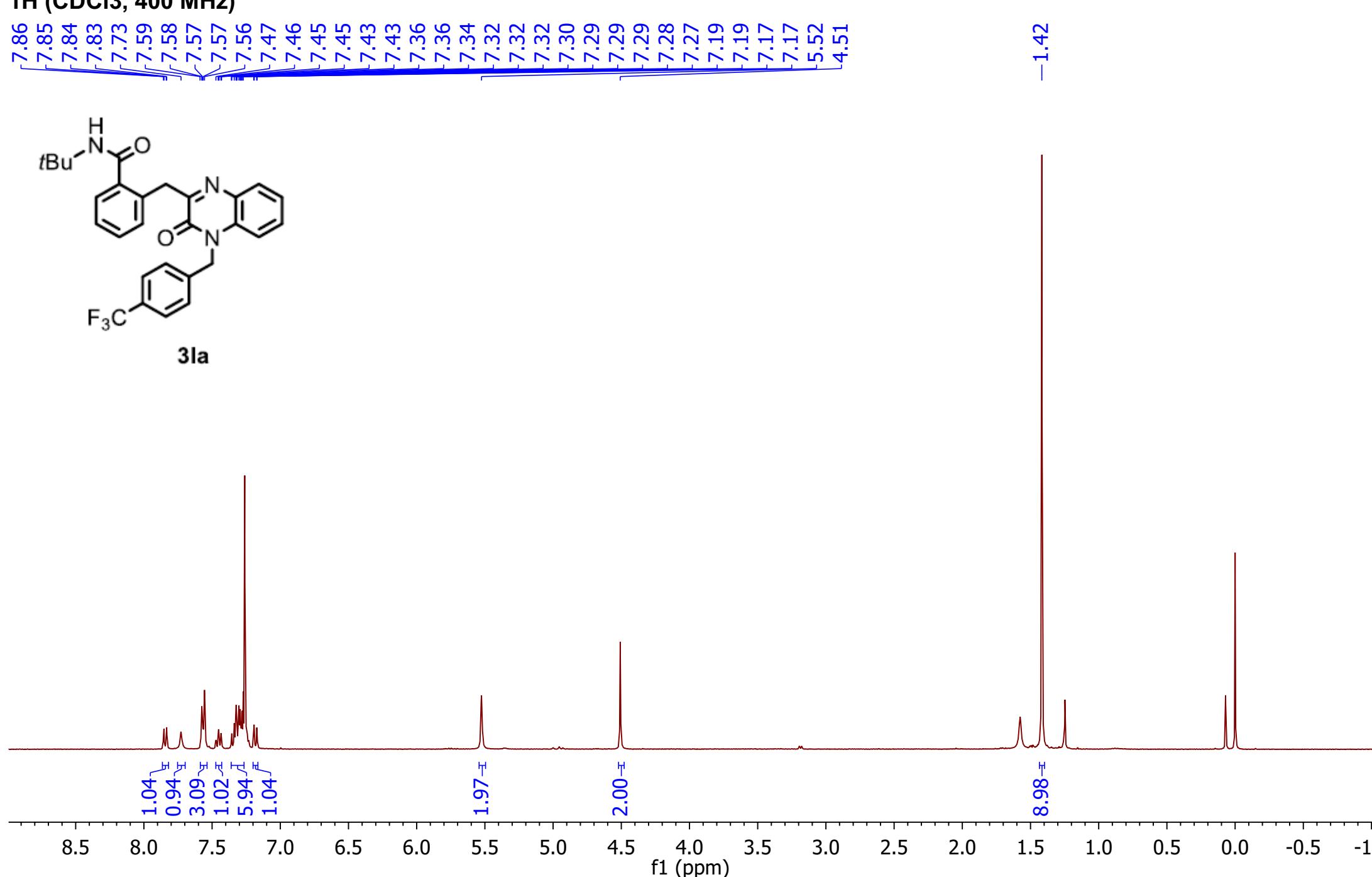


¹³C NMR Spectrum of 3ka

1H (CDCl₃, 400 MHz)

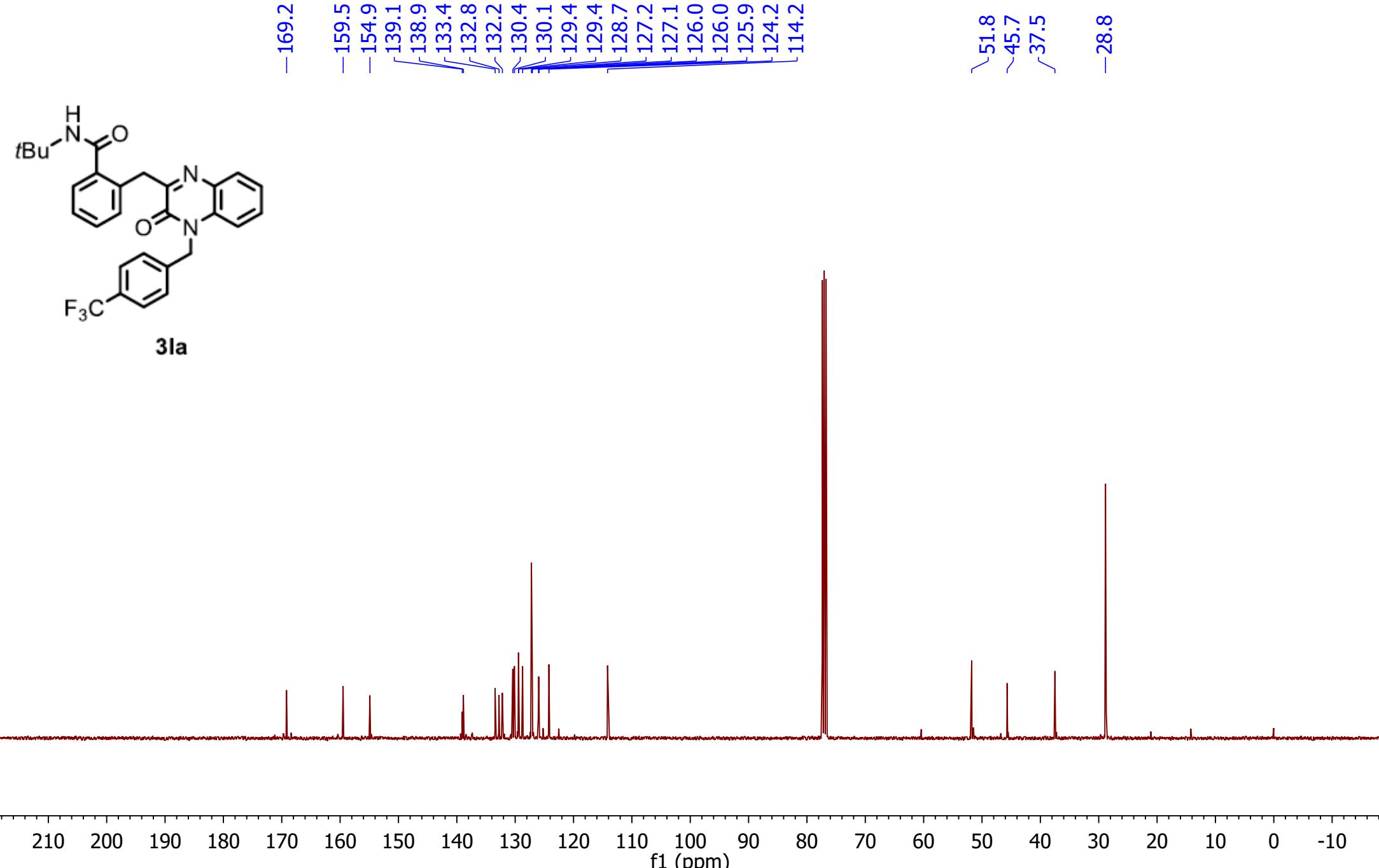


3la



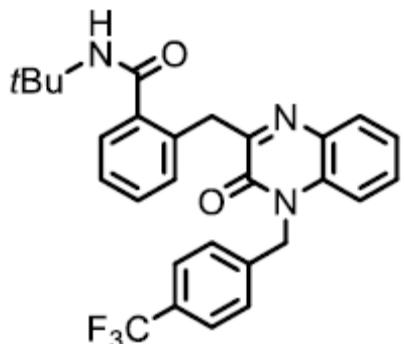
¹H NMR Spectrum of **3la**

¹³C (CDCl₃, 101 MHz)



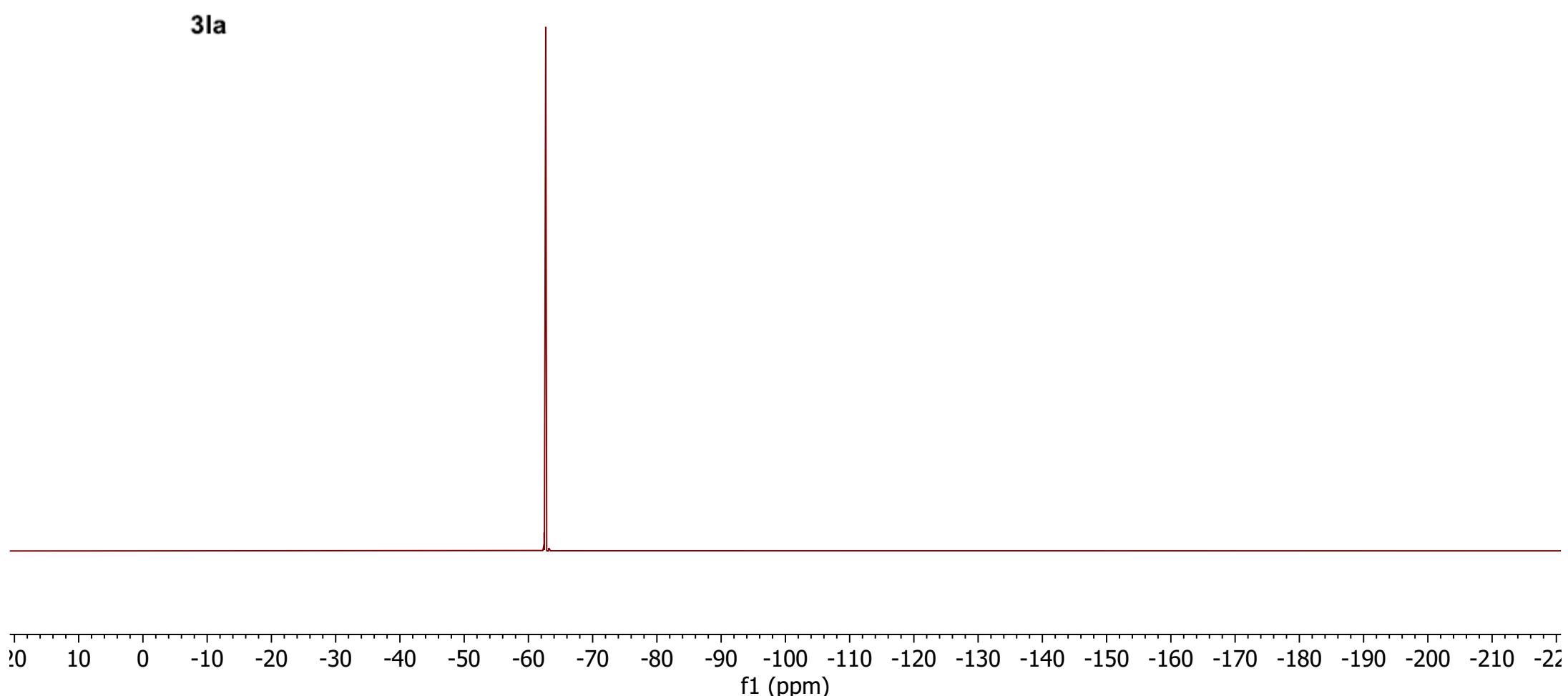
¹³C NMR Spectrum of 3la

¹⁹F (CDCl₃, 376 MHz)



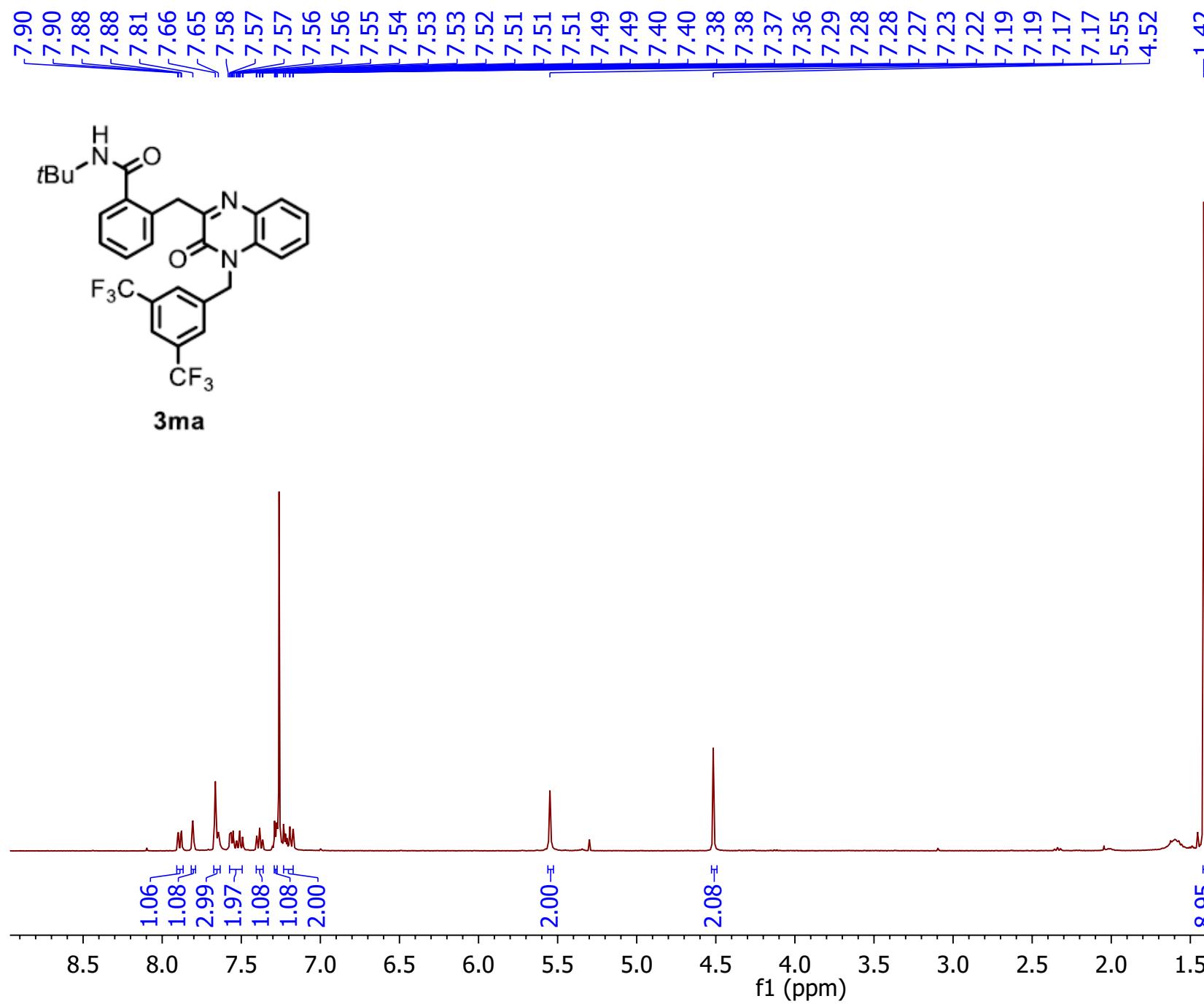
3la

-62.7



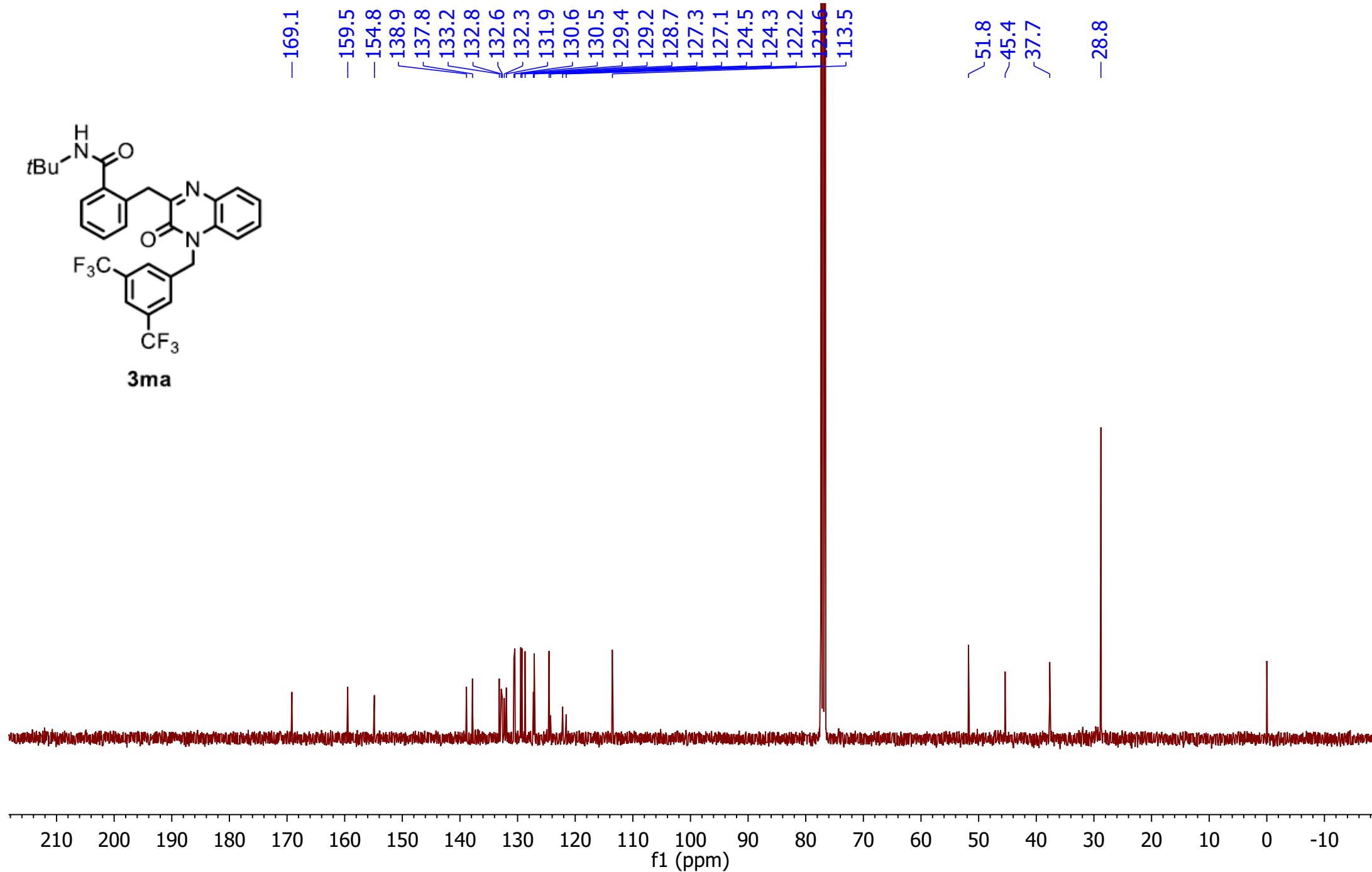
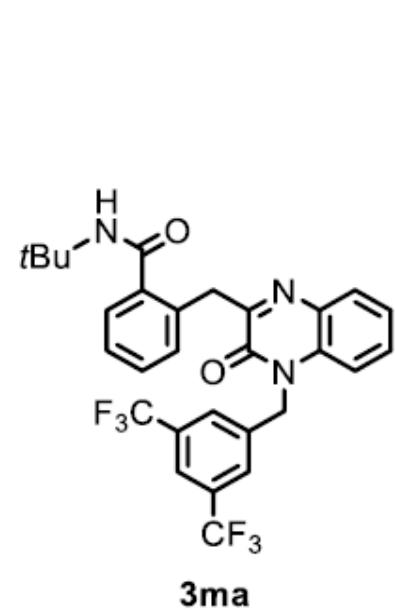
¹⁹F NMR Spectrum of 3la

1H (CDCl₃, 400 MHz)



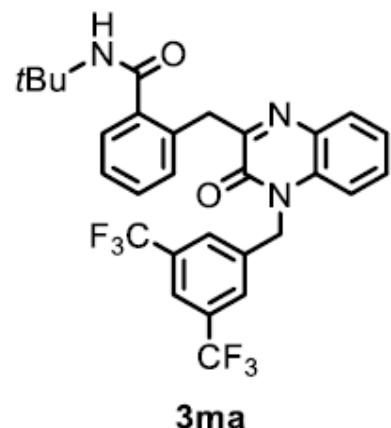
¹H NMR Spectrum of **3ma**

13C (CDCl₃, 101 MHz)

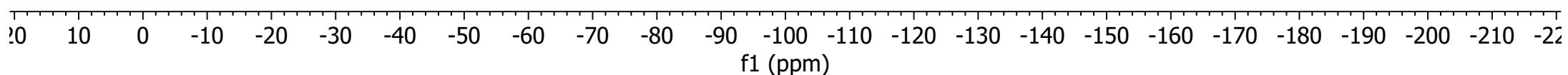


¹³C NMR Spectrum of **3ma**

¹⁹F (CDCl₃, 376 MHz)

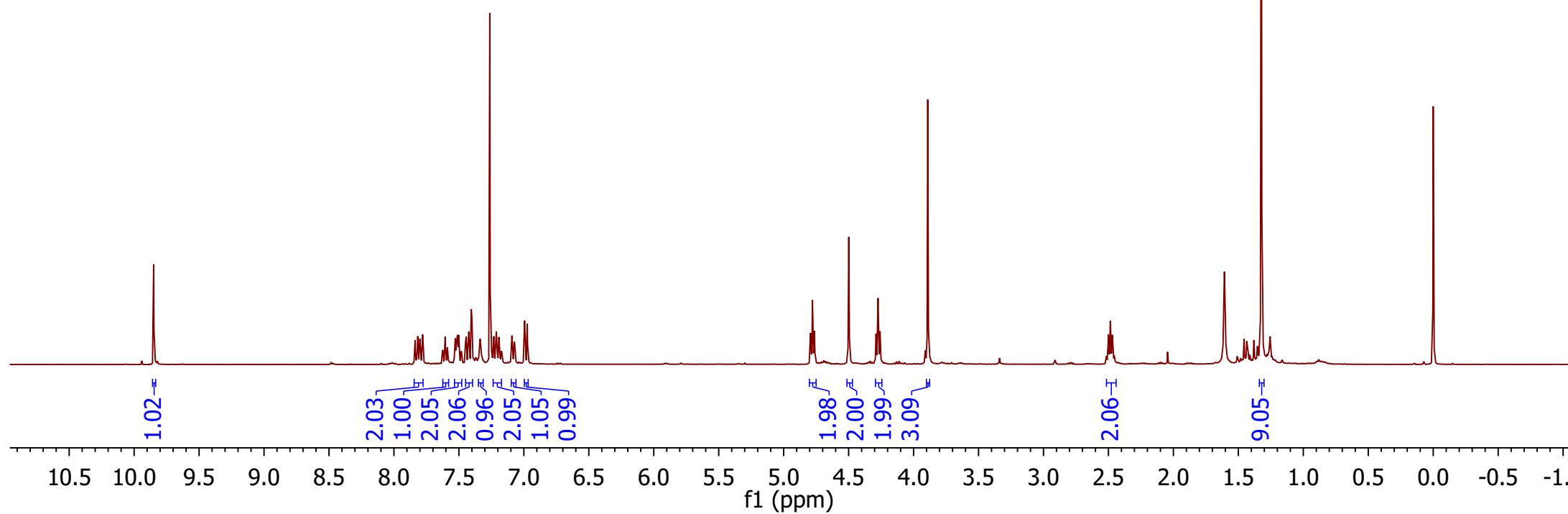
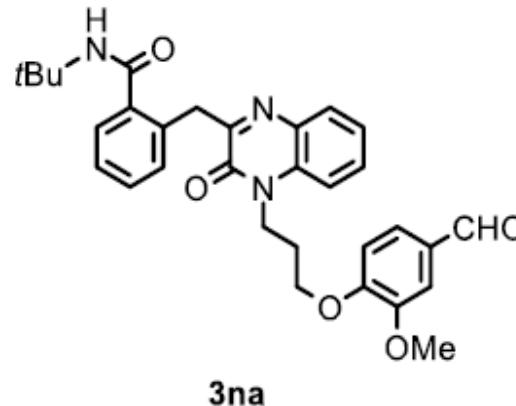


-62.9



¹⁹F NMR Spectrum of 3ma

1H (CDCl₃, 400 MHz)



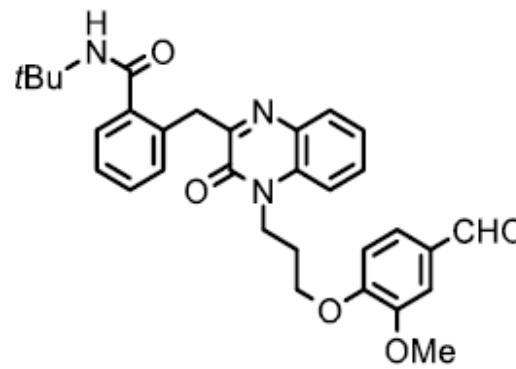
¹H NMR Spectrum of **3na**

¹³C (CDCl₃, 101 MHz)

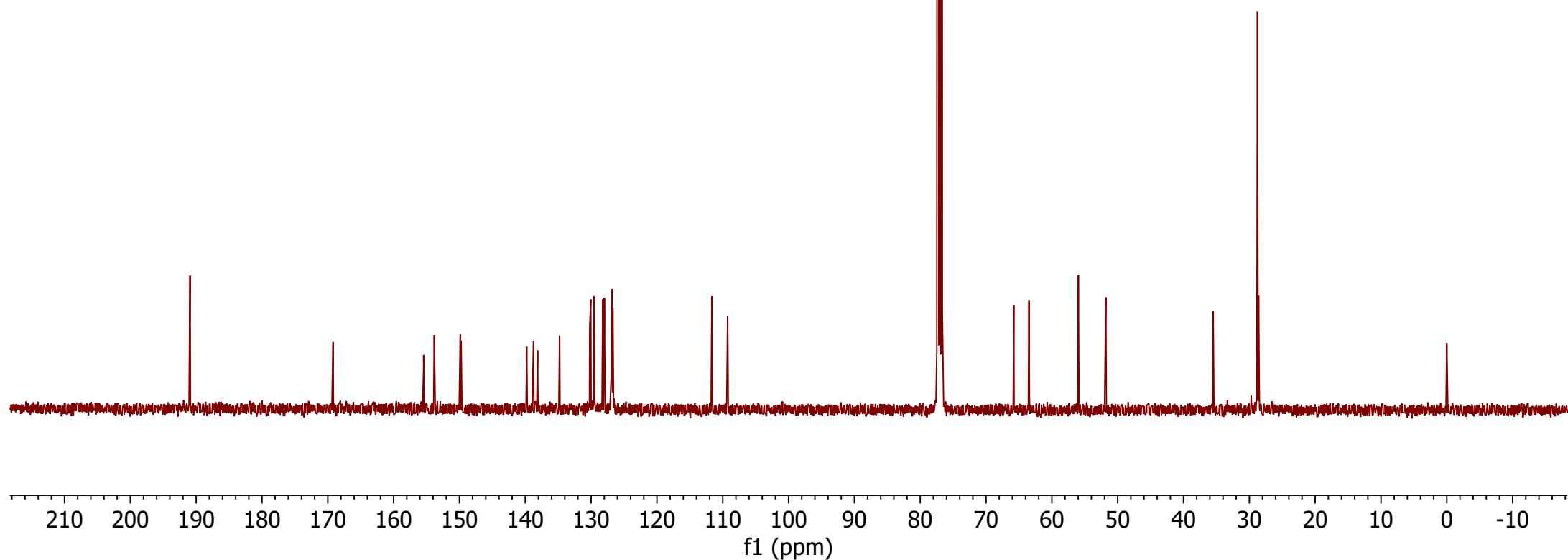
-190.9
-169.2

155.4
153.8
149.9
149.7
139.8
139.7
138.7
138.1
134.8
130.2
130.0
129.6
129.5
128.2
128.0
126.9
126.9
126.8
126.7
111.7
109.3

~65.8
~63.5
~56.0
~51.8
~35.5
~28.8
~28.6

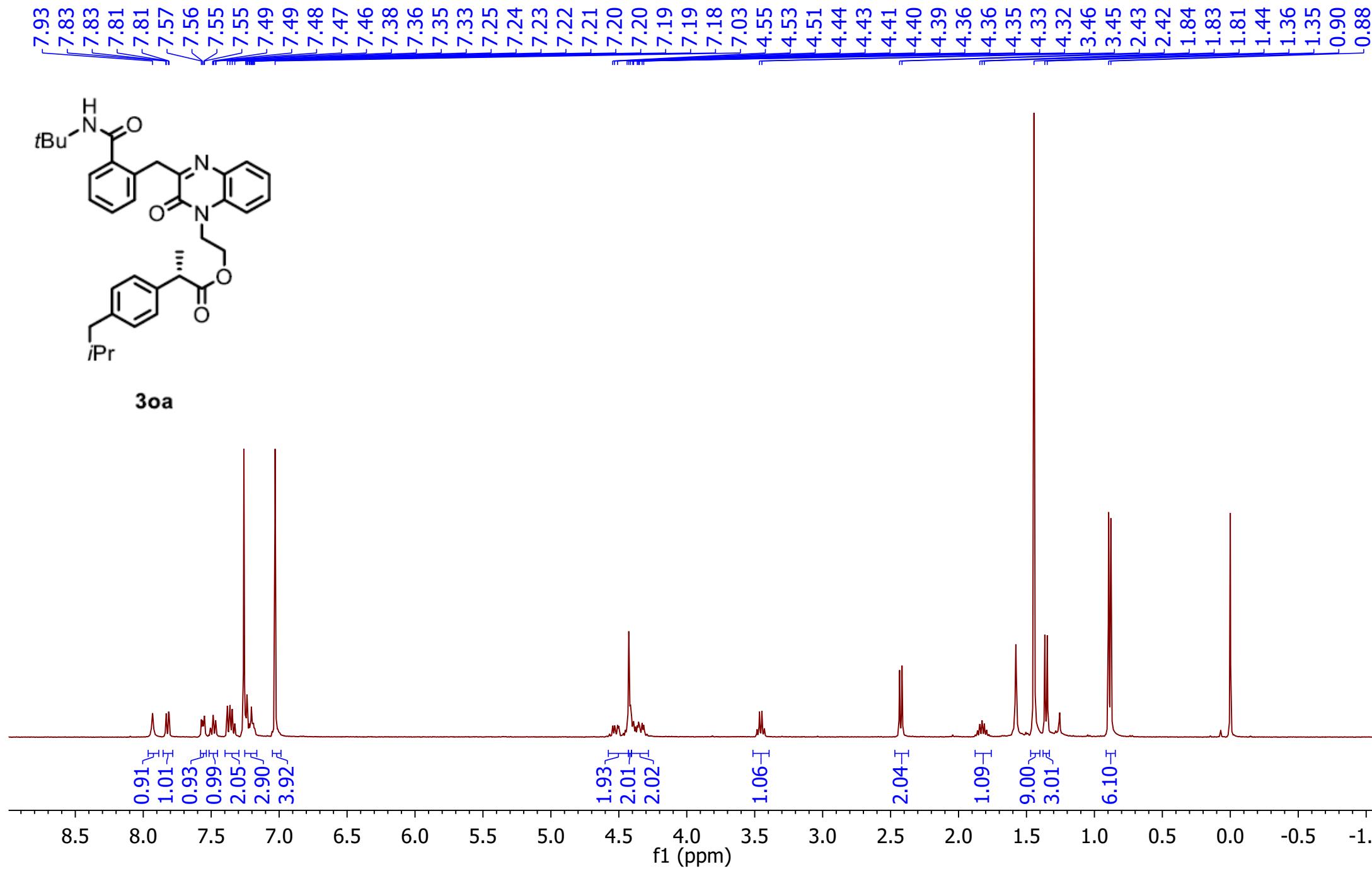


3na



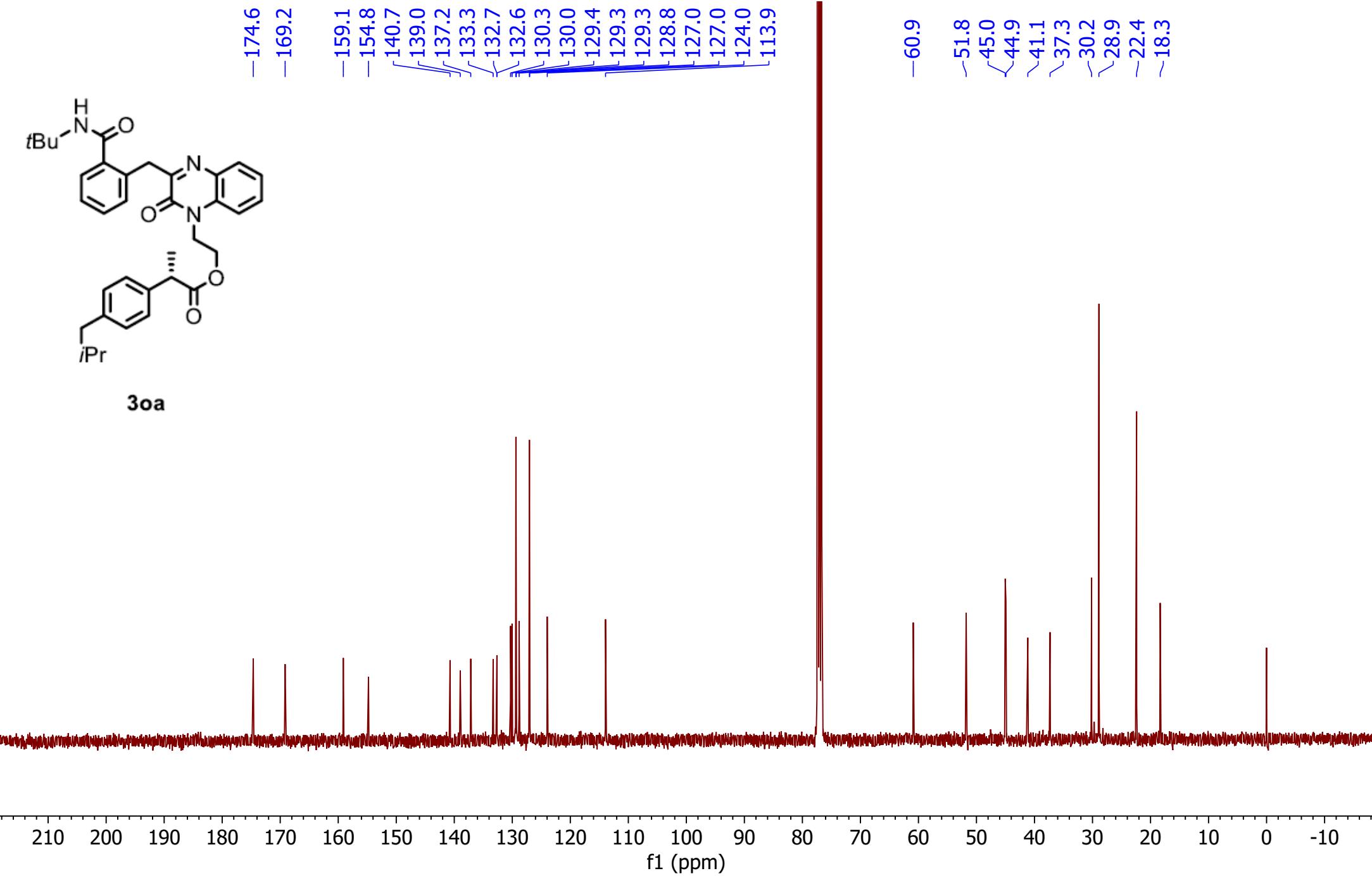
¹³C NMR Spectrum of **3na**

1H (CDCl₃, 400 MHz)



¹H NMR Spectrum of **3oa**

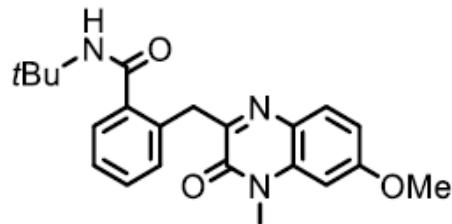
13C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of **3oa**

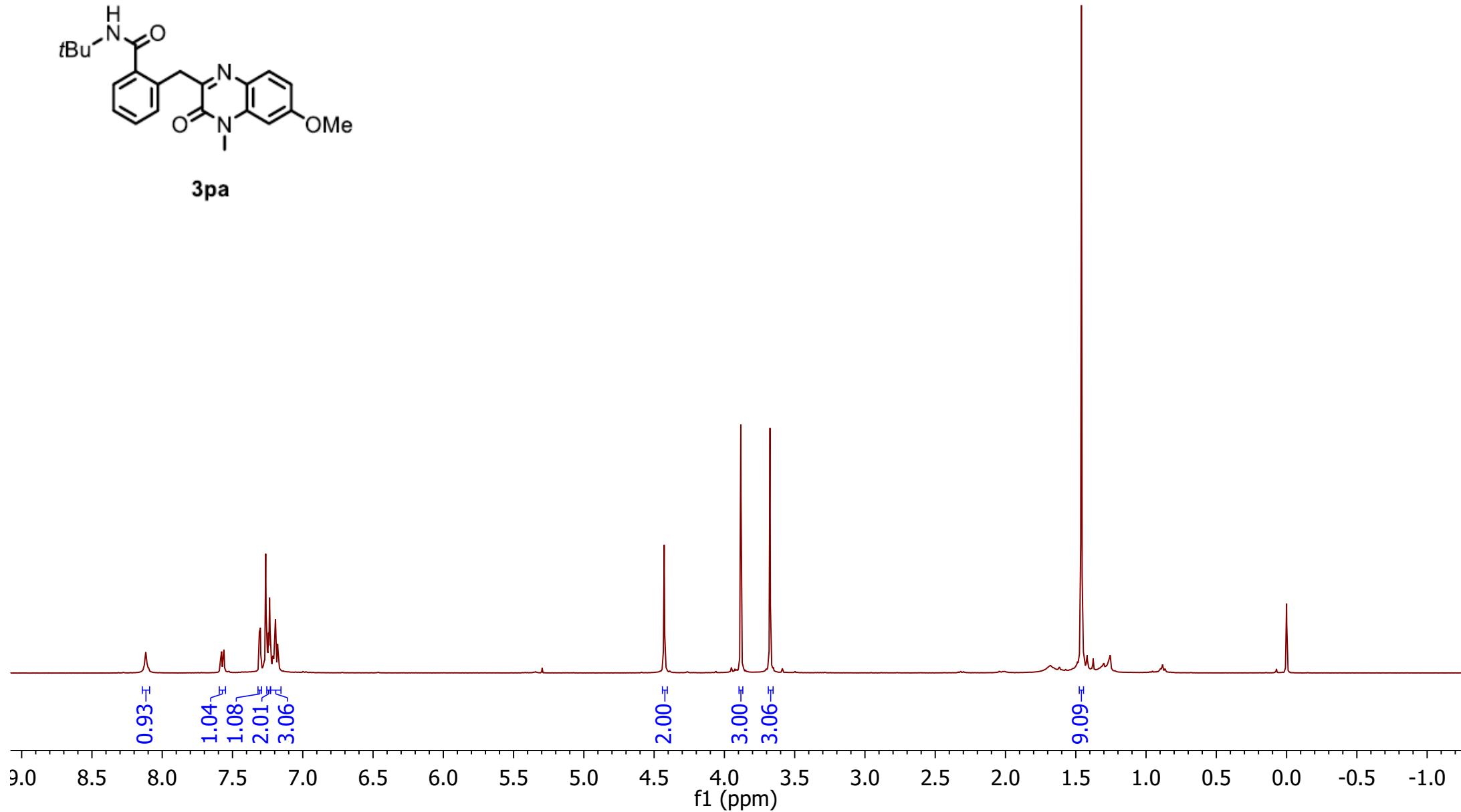
1H (CDCl₃, 400 MHz)

8.12
7.58
7.57
7.56
7.55
7.31
7.30
7.26
7.25
7.24
7.23
7.22
7.21
7.20
7.19
7.18
7.17
4.46
4.43
4.39
3.88
3.69
3.68



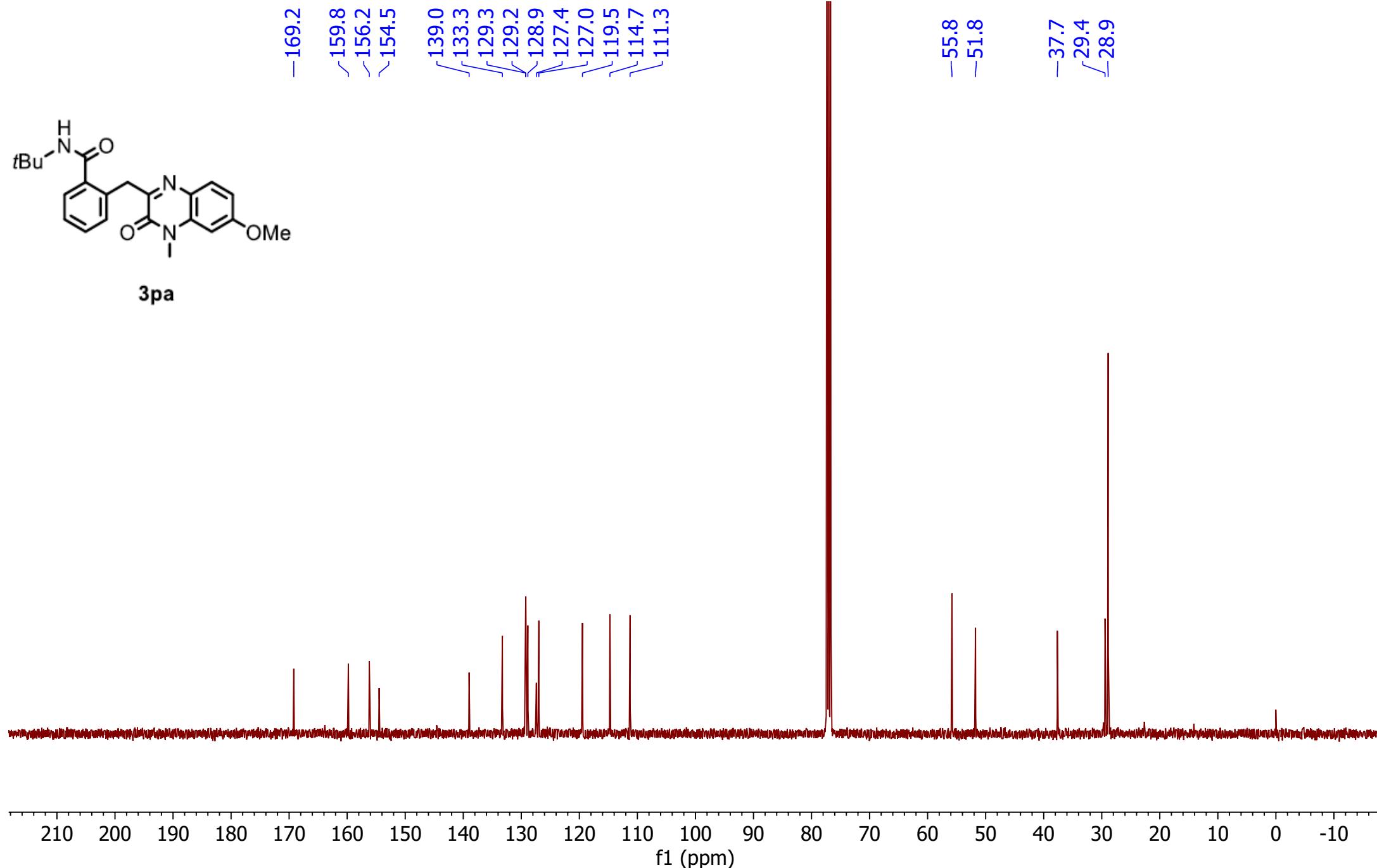
3pa

-1.46



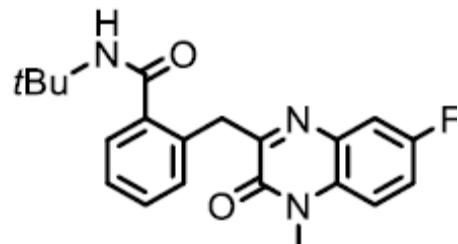
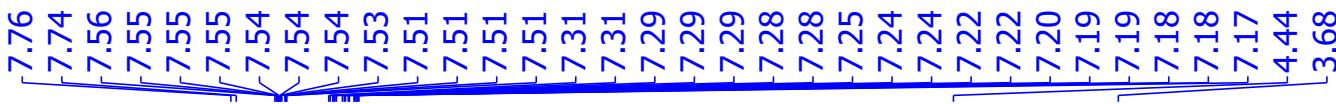
¹H NMR Spectrum of **3pa**

13C (CDCl₃, 101 MHz)

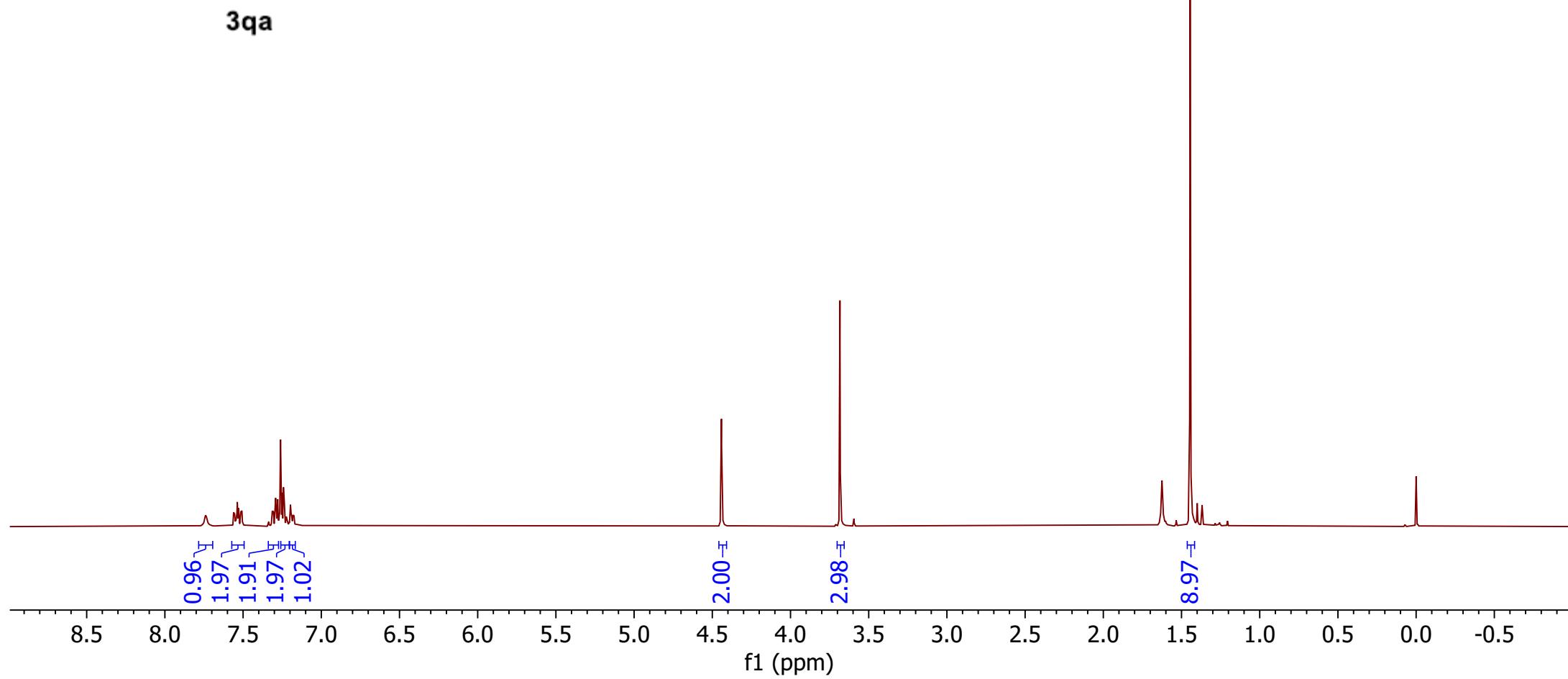


¹³C NMR Spectrum of 3pa

1H (CDCl₃, 400 MHz)

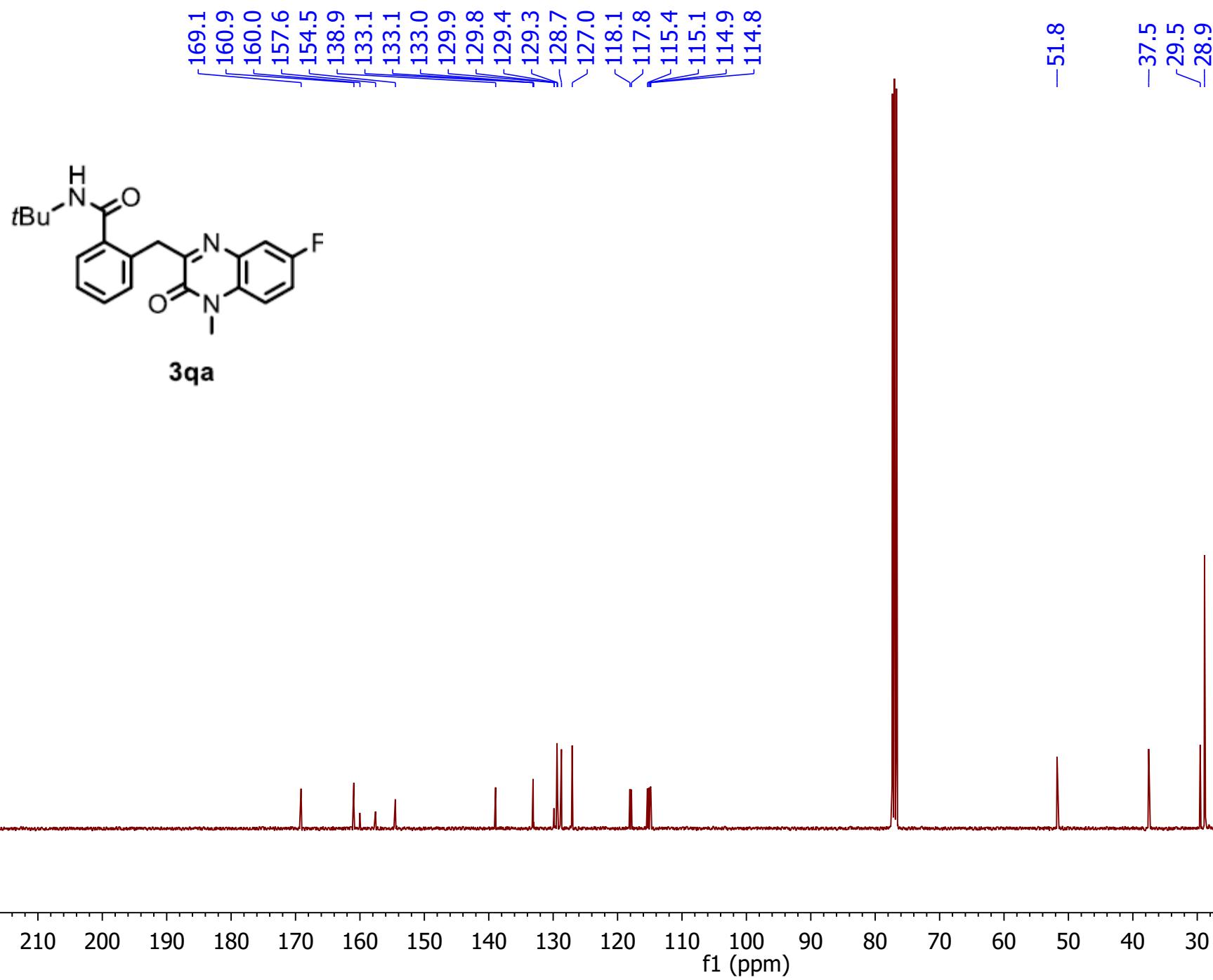


3qa



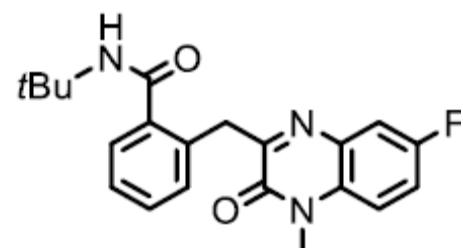
¹H NMR Spectrum of **3qa**

¹³C (CDCl₃, 101 MHz)



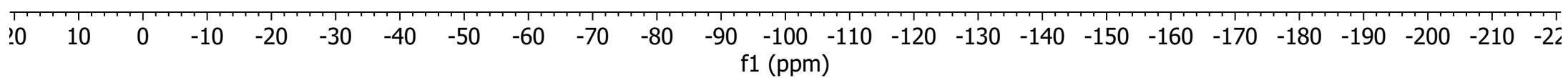
¹³C NMR Spectrum of 3qa

¹⁹F (CDCl₃, 376 MHz)



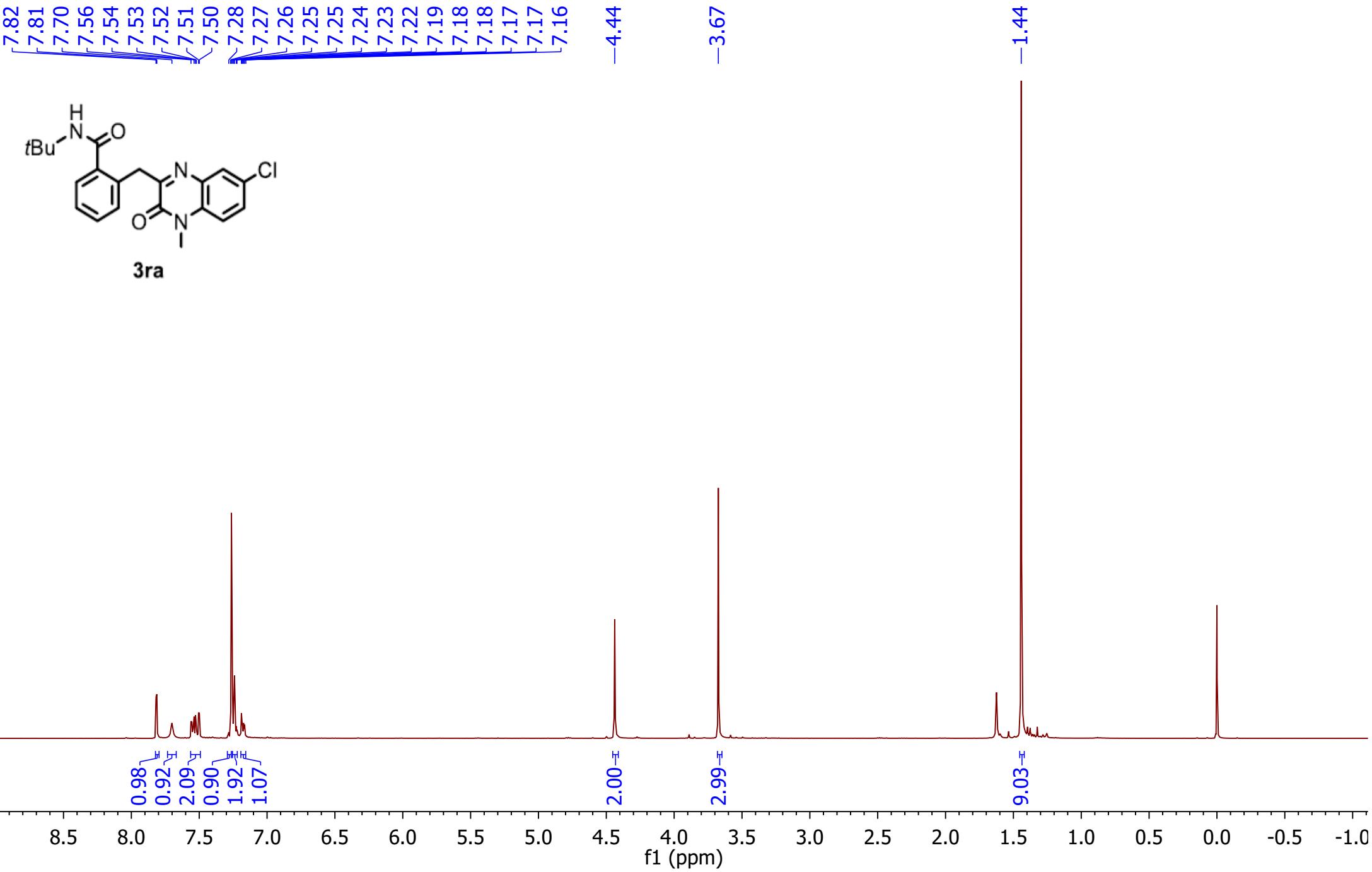
3qa

--118.4



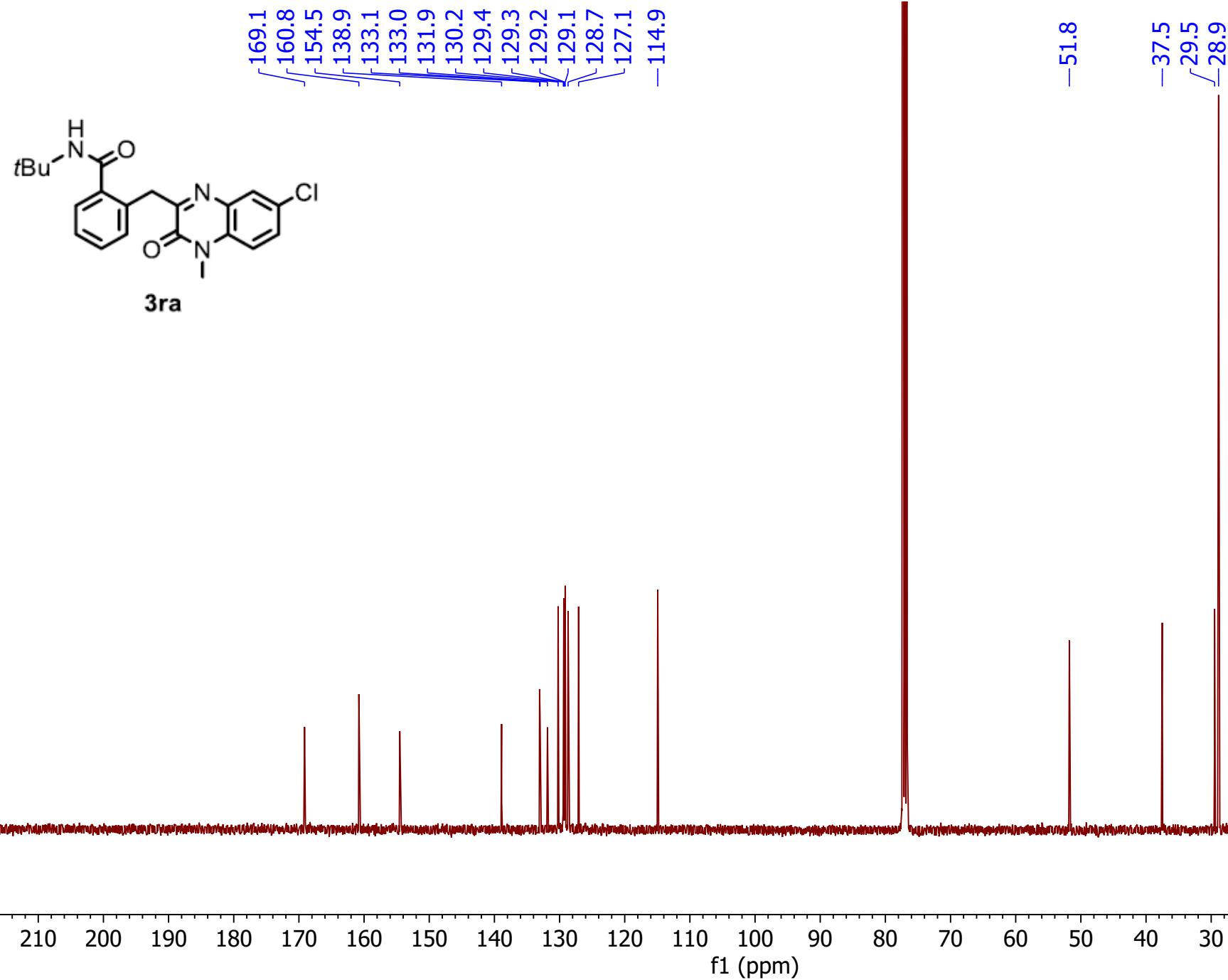
¹⁹F NMR Spectrum of 3qa

^1H (CDCl₃, 400 MHz)



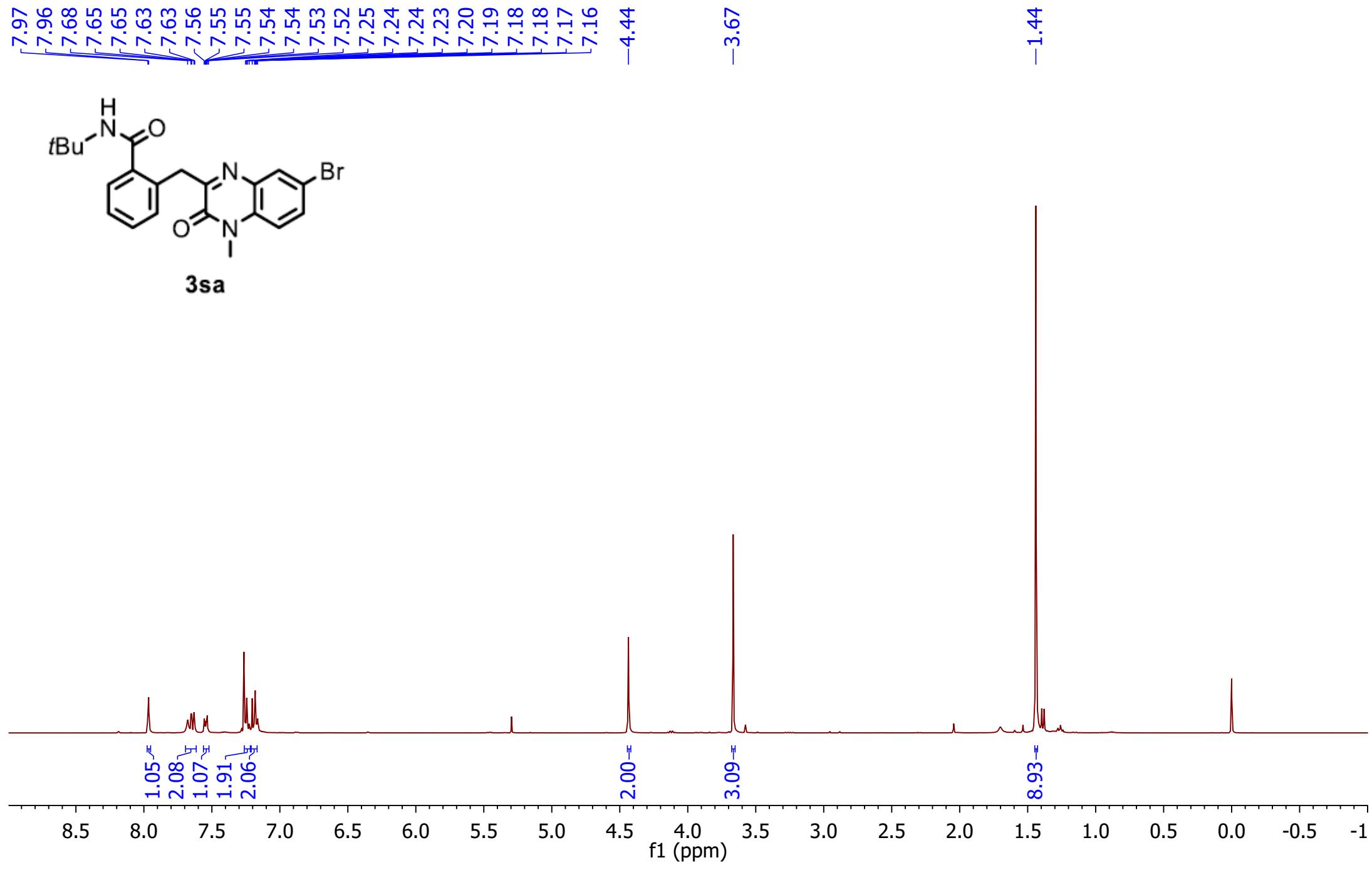
^1H NMR Spectrum of **3ra**

^{13}C (CDCl₃, 101 MHz)



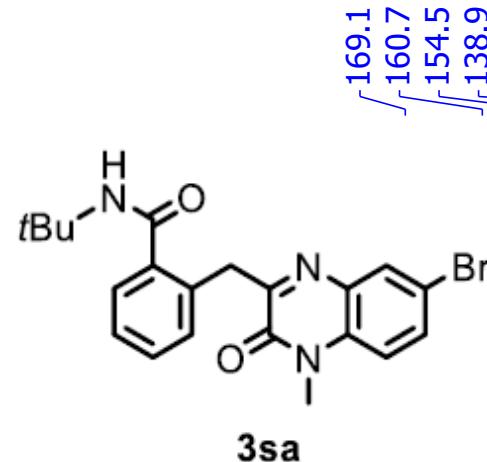
^{13}C NMR Spectrum of **3ra**

^1H (CDCl₃, 400 MHz)

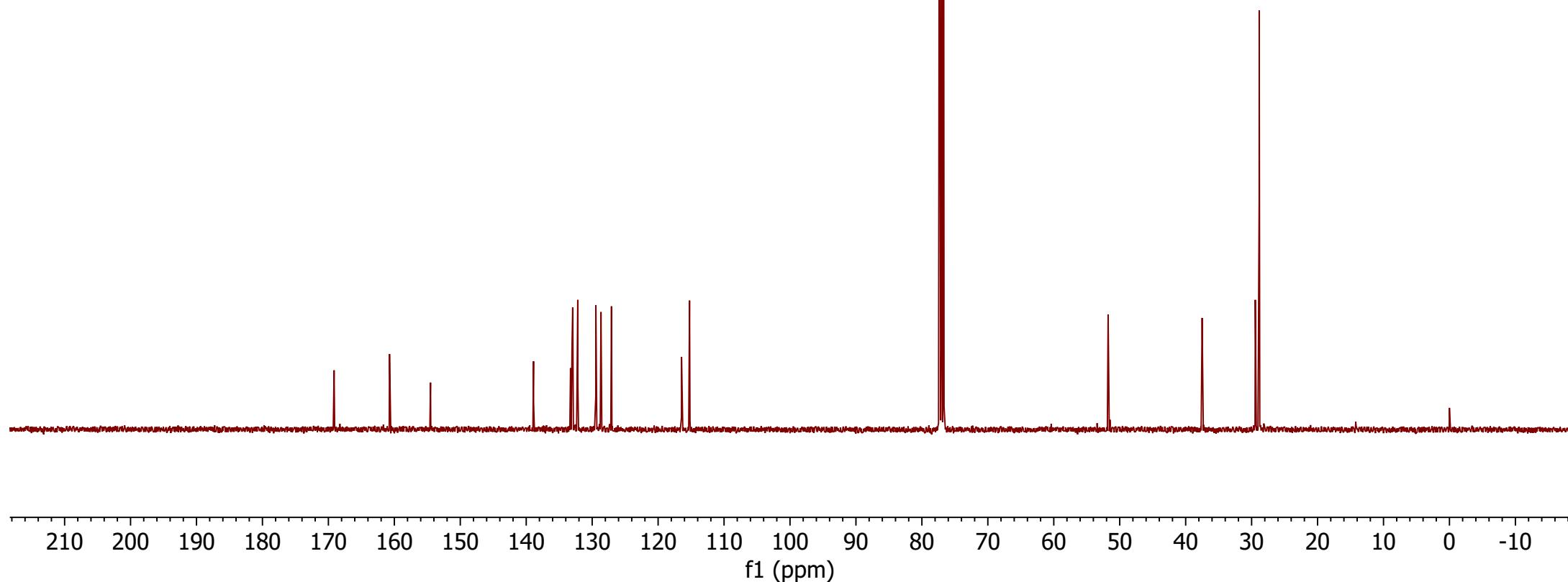


^1H NMR Spectrum of **3sa**

¹³C (CDCl₃, 101 MHz)

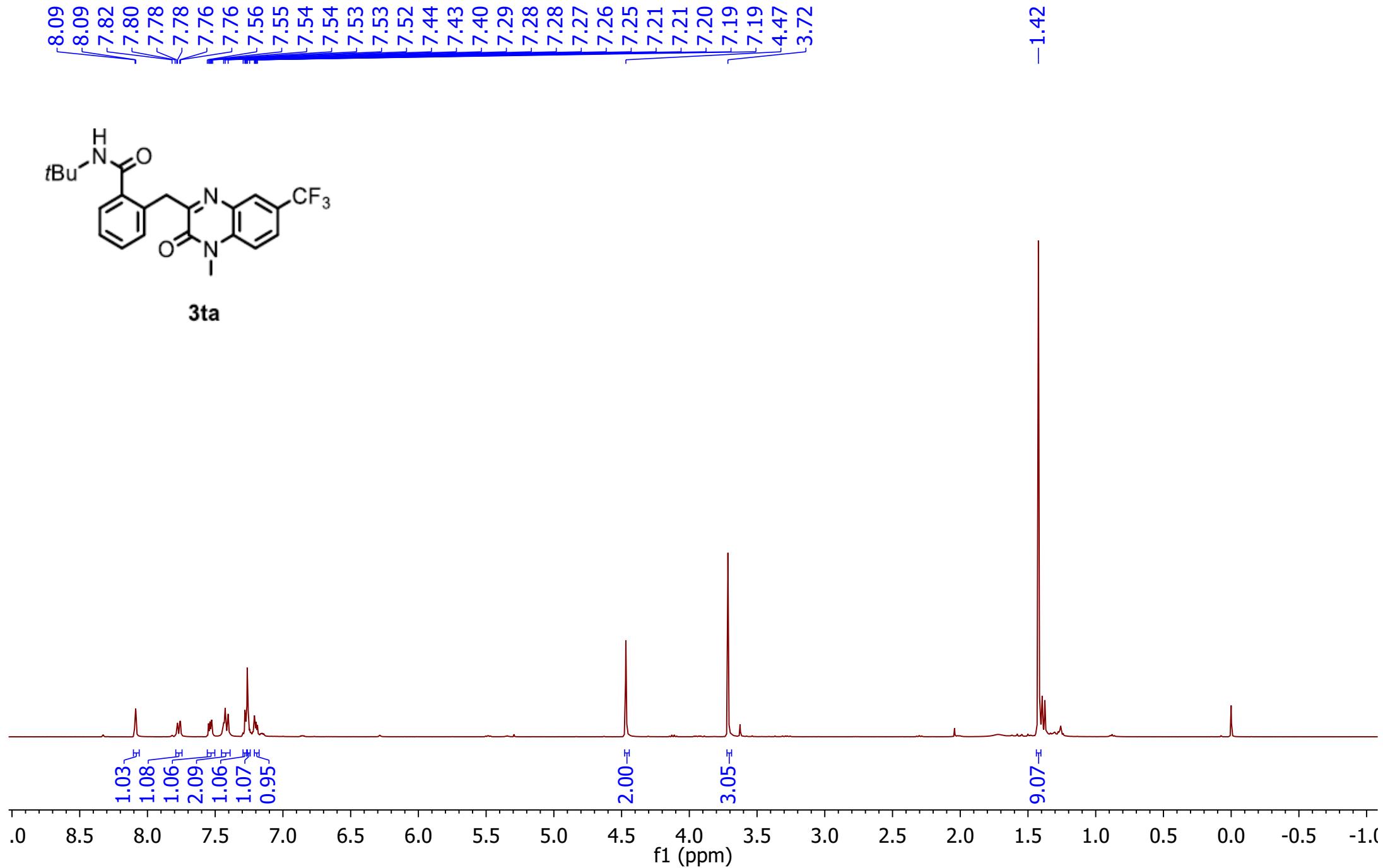


169.1
160.7
154.5
138.9
133.3
133.1
133.0
132.3
132.2
129.4
129.3
128.7
127.1
116.4
115.2
-51.8
-37.5
-29.4
-28.8



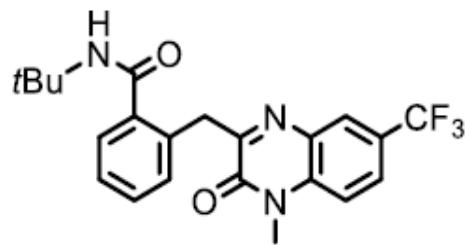
¹³C NMR Spectrum of 3sa

1H (CDCl₃, 400MHz)

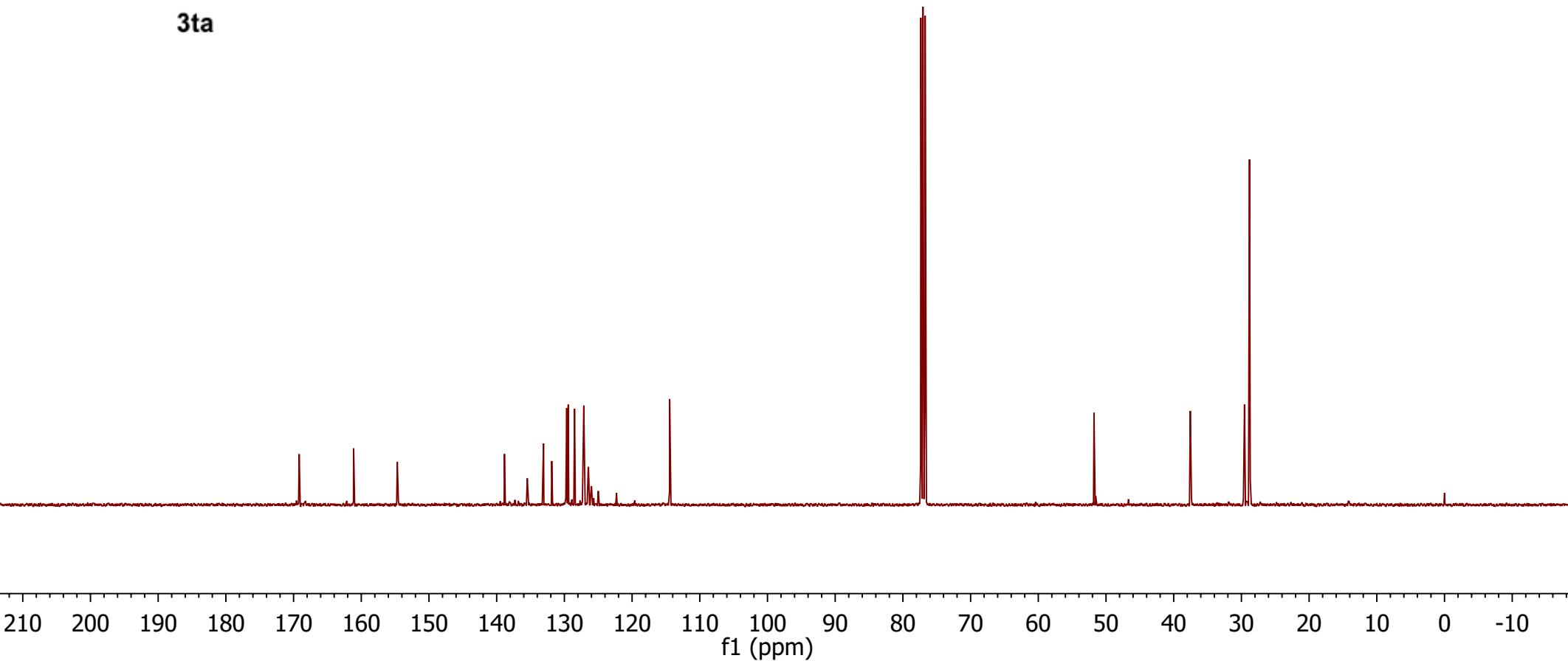


¹H NMR Spectrum of **3ta**

¹³C (CDCl₃, 101 MHz)

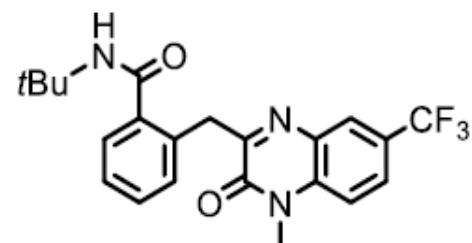


3ta



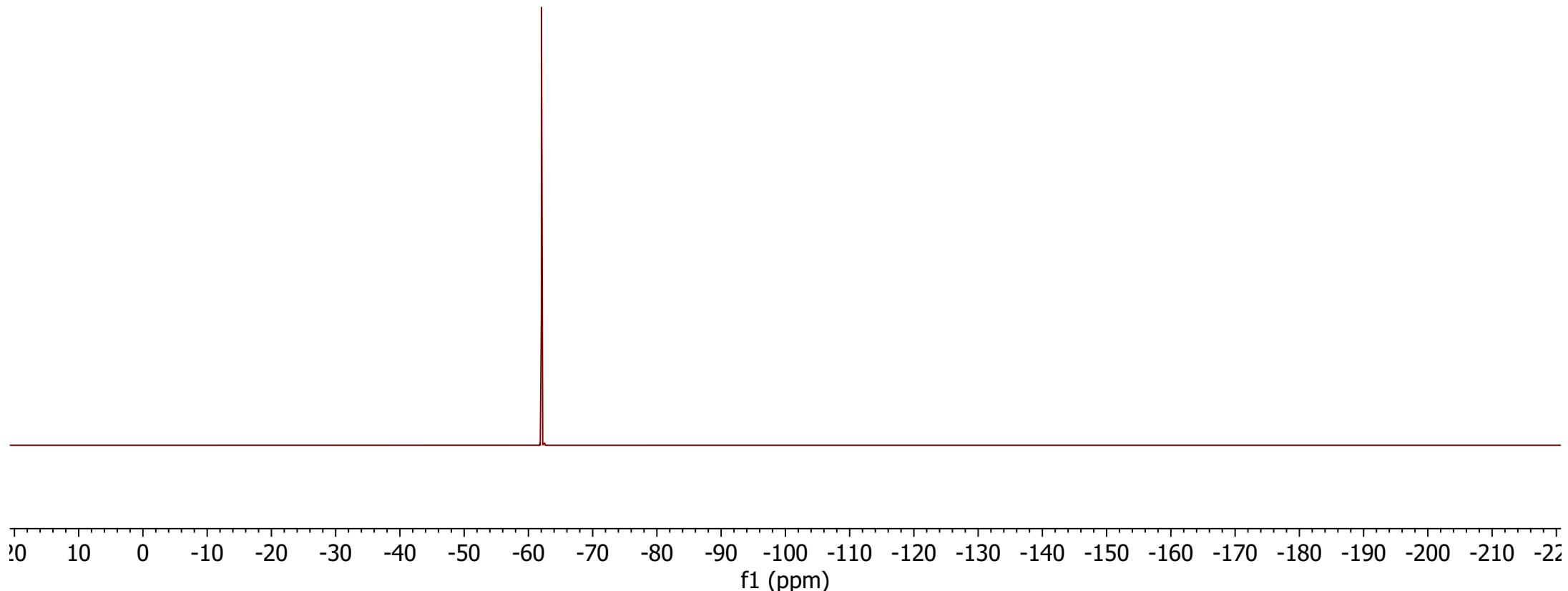
¹³C NMR Spectrum of 3ta

¹⁹F (CDCl₃, 376 MHz)



3ta

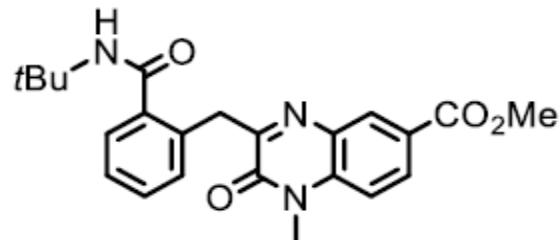
-62.0



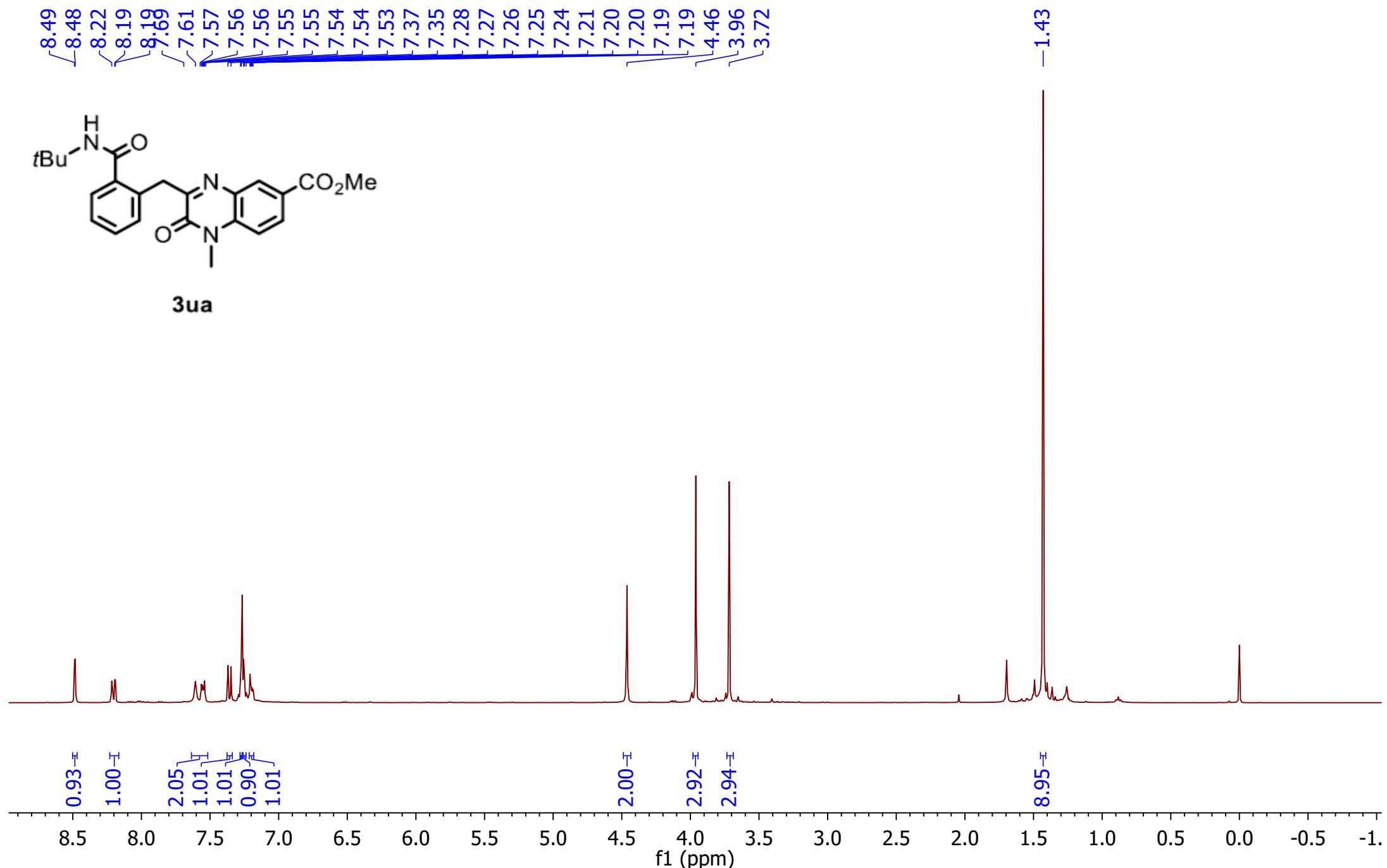
¹⁹F NMR Spectrum of 3ta

1H (CDCl₃, 400 MHz)

8.49
8.22
8.19
8.19
8.19
7.61
7.57
7.56
7.56
7.54
7.54
7.53
7.53
7.37
7.35
7.28
7.27
7.26
7.25
7.24
7.21
7.20
7.19
4.46
3.96
3.72

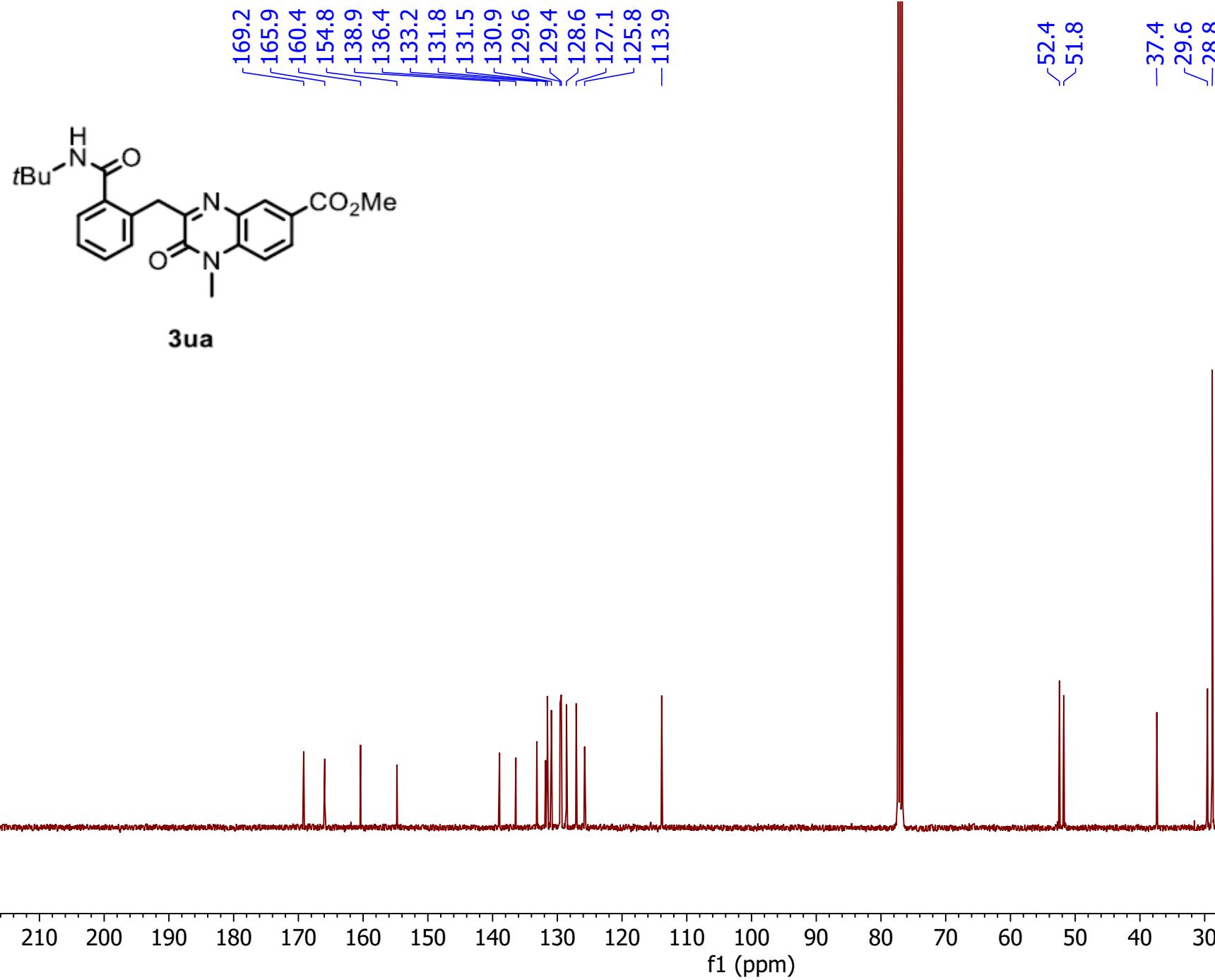


3ua



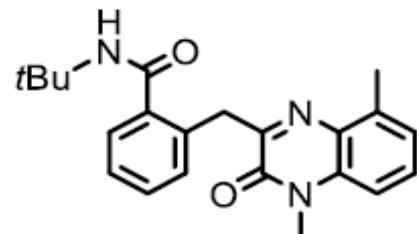
¹H NMR Spectrum of **3ua**

¹³C (CDCl₃, 101 MHz)

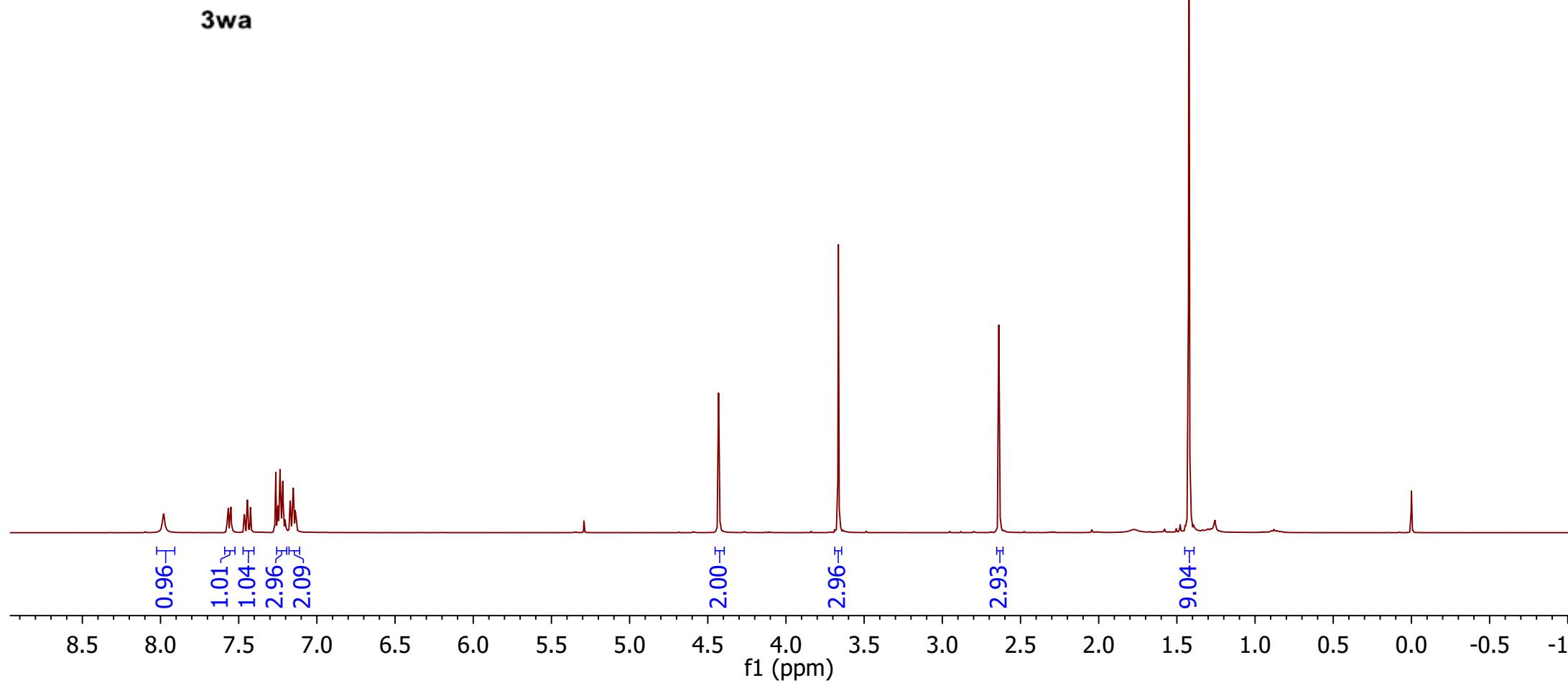


¹³C NMR Spectrum of 3ua

¹H (CDCl₃, 400 MHz)

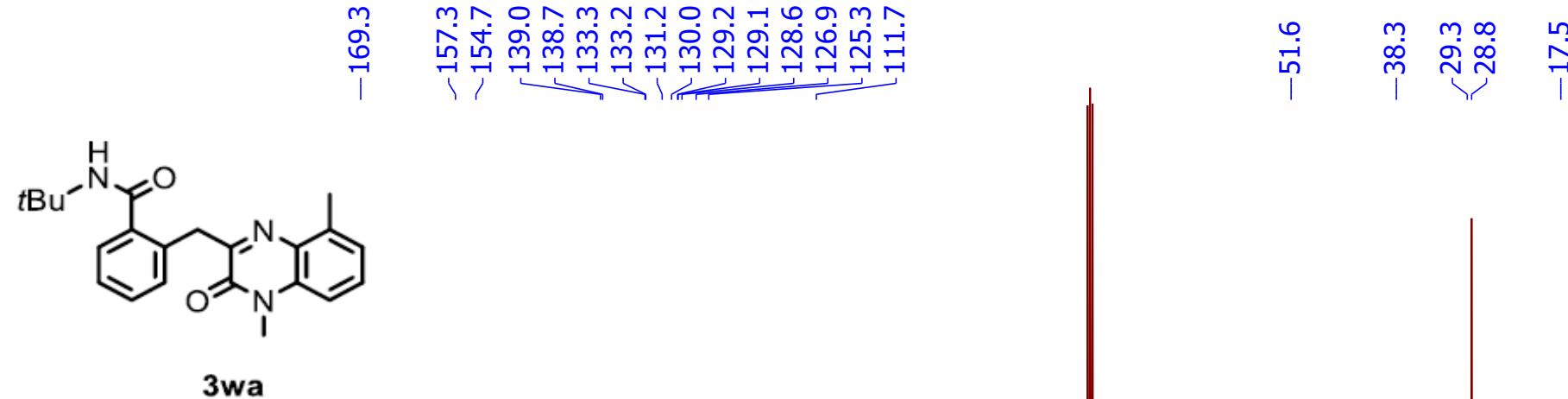


3wa



¹H NMR Spectrum of 3wa

¹³C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of **3wa**

¹H (CDCl₃, 400 MHz)

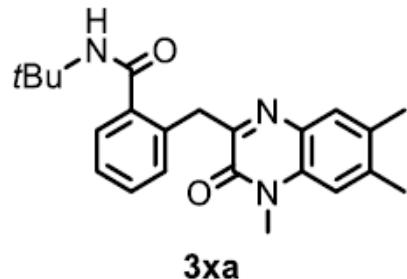
-8.18
7.57
7.24
7.24
7.23
7.23
7.22
7.22
7.21
7.21
7.19
7.19
7.19
7.18
7.18
7.18
7.17
7.16
7.08

-4.41

-3.66

2.42
2.35

-1.46



3xa

1.00 \int_{H}

2.07 \int_{H}

3.01 \int_{H}

0.98 \int_{H}

2.00 \int_{H}

3.03 \int_{H}

3.06 \int_{H}

3.04 \int_{H}

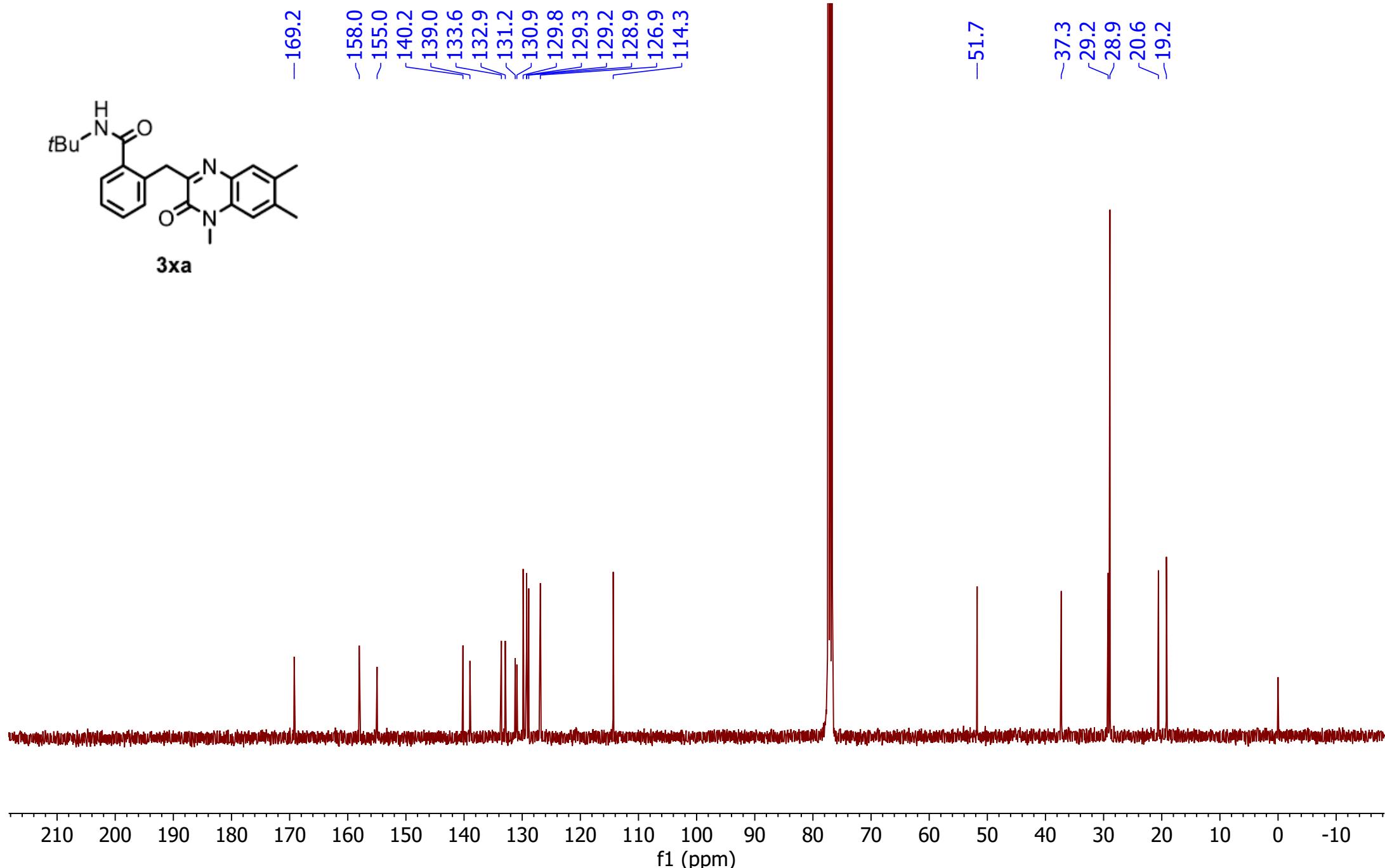
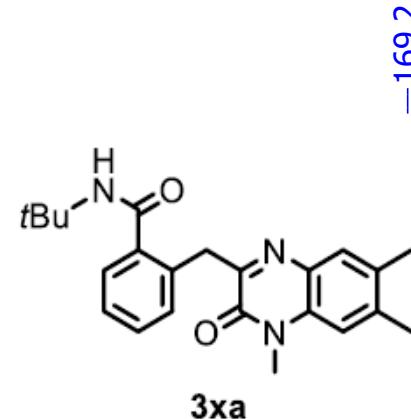
8.99 \int_{H}

8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0

f1 (ppm)

¹H NMR Spectrum of **3xa**

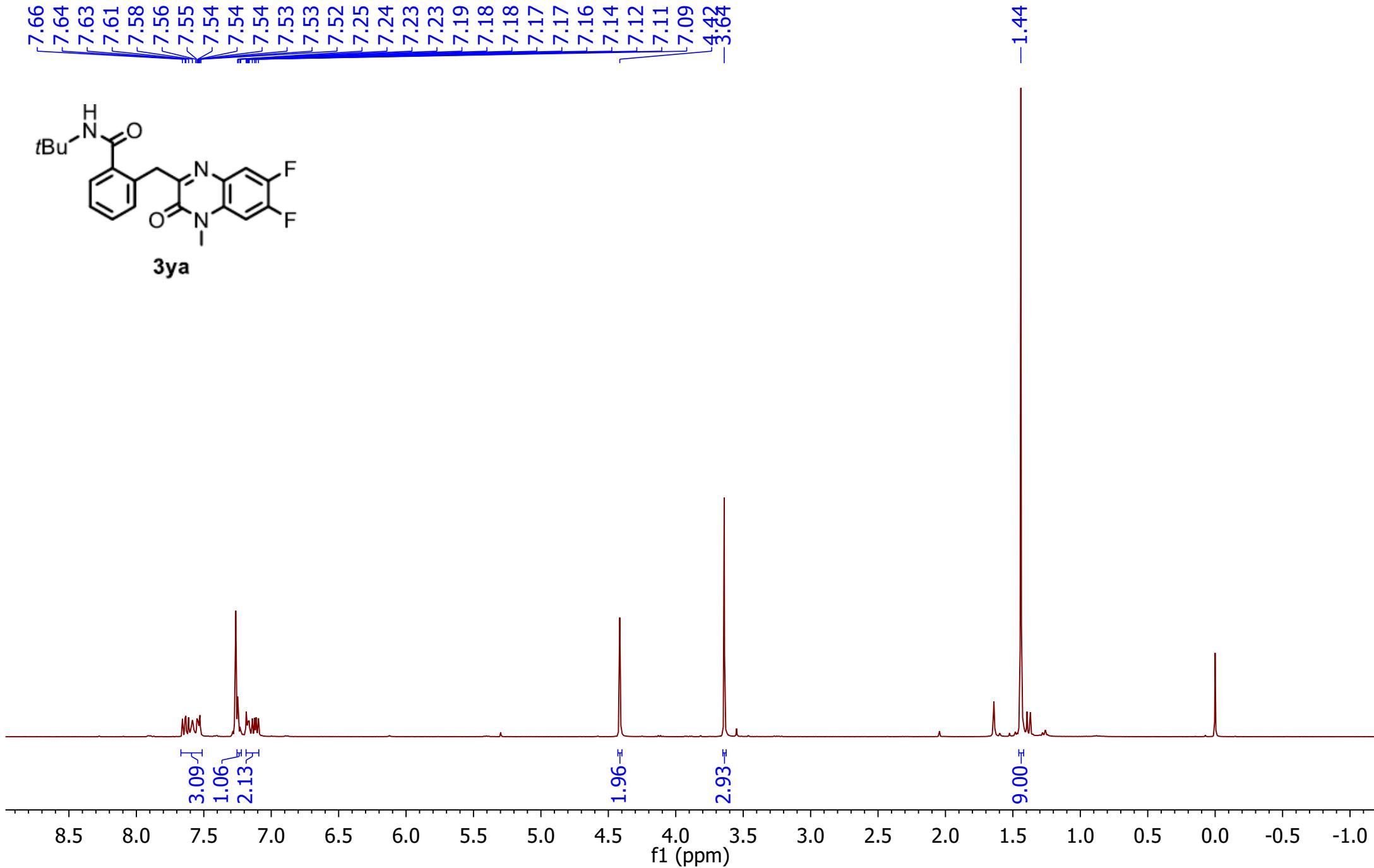
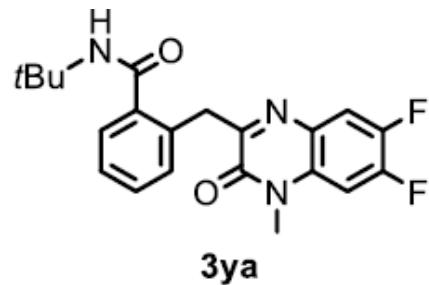
¹³C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of **3xa**

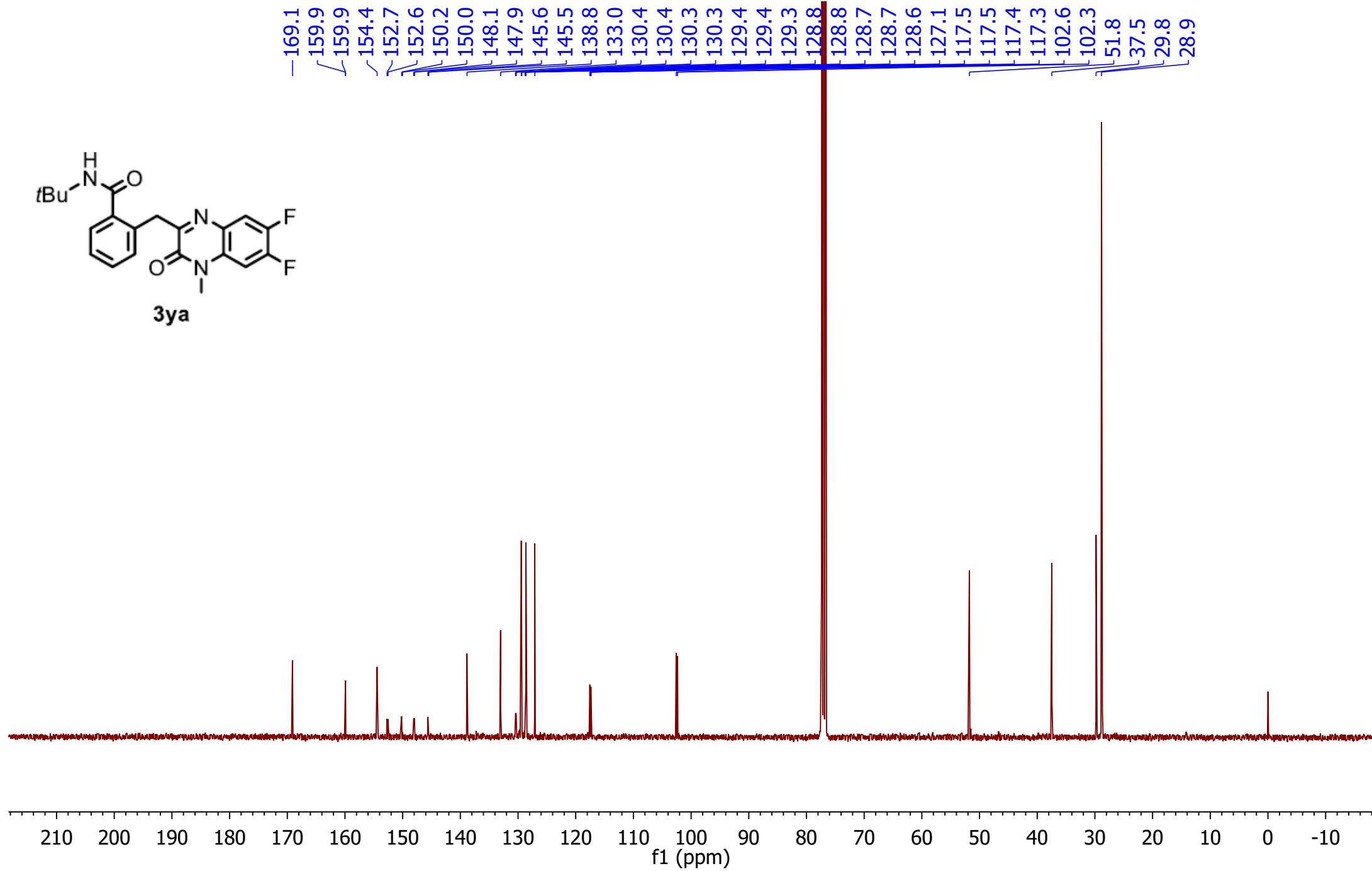
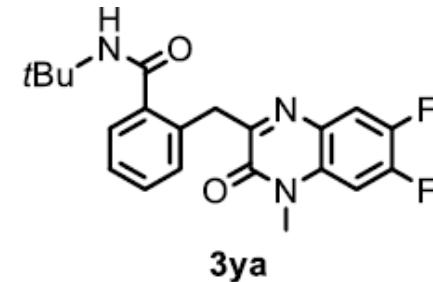
1H (CDCl₃, 400 MHz)

7.66
7.64
7.63
7.61
7.58
7.56
7.55
7.54
7.54
7.54
7.53
7.52
7.25
7.24
7.23
7.23
7.19
7.18
7.18
7.17
7.17
7.16
7.14
7.12
7.11
7.09
4.42
3.64



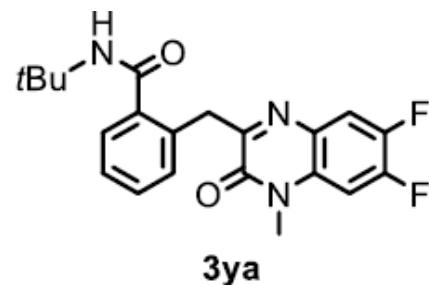
¹H NMR Spectrum of **3ya**

13C (CDCl₃, 101 MHz)

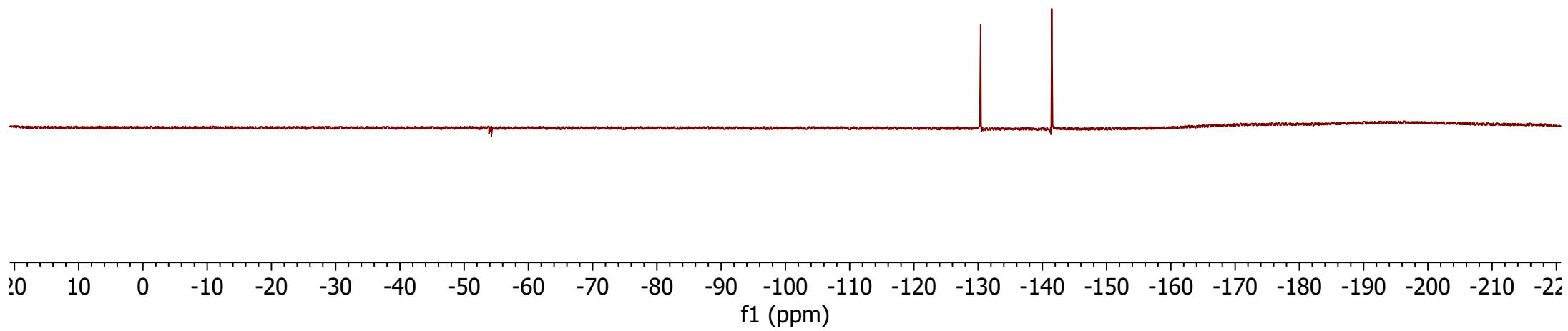


¹³C NMR Spectrum of 3ya

¹⁹F (CDCl₃, 376 MHz)

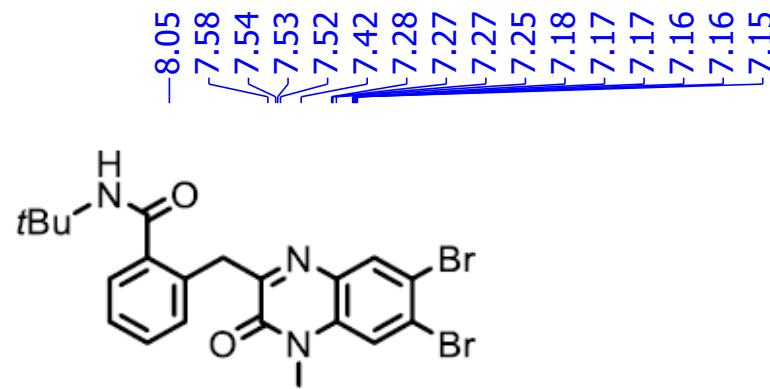


-130.4
-141.4



¹⁹F NMR Spectrum of 3ya

^1H (CDCl₃, 400 MHz)



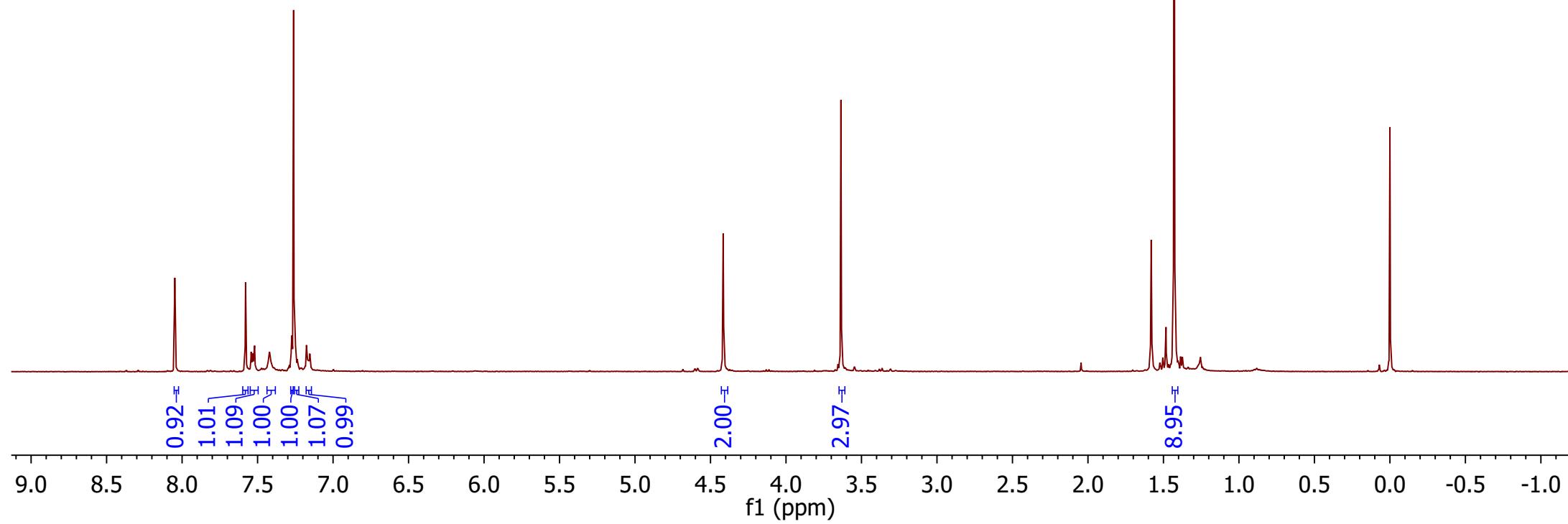
3za

—8.05
7.58
7.54
7.53
7.52
7.42
7.28
7.27
7.25
7.18
7.17
7.17
7.16
7.16
7.15

4.42
4.37

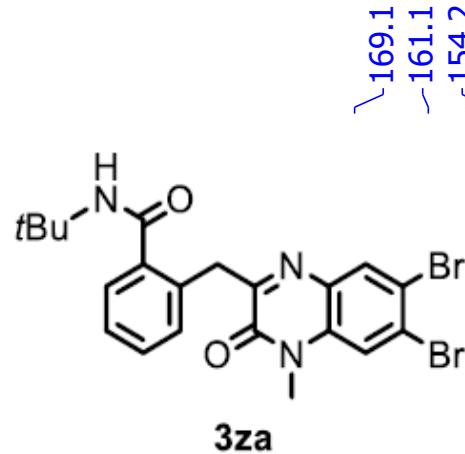
—3.64

1.44
1.43
1.41
1.40



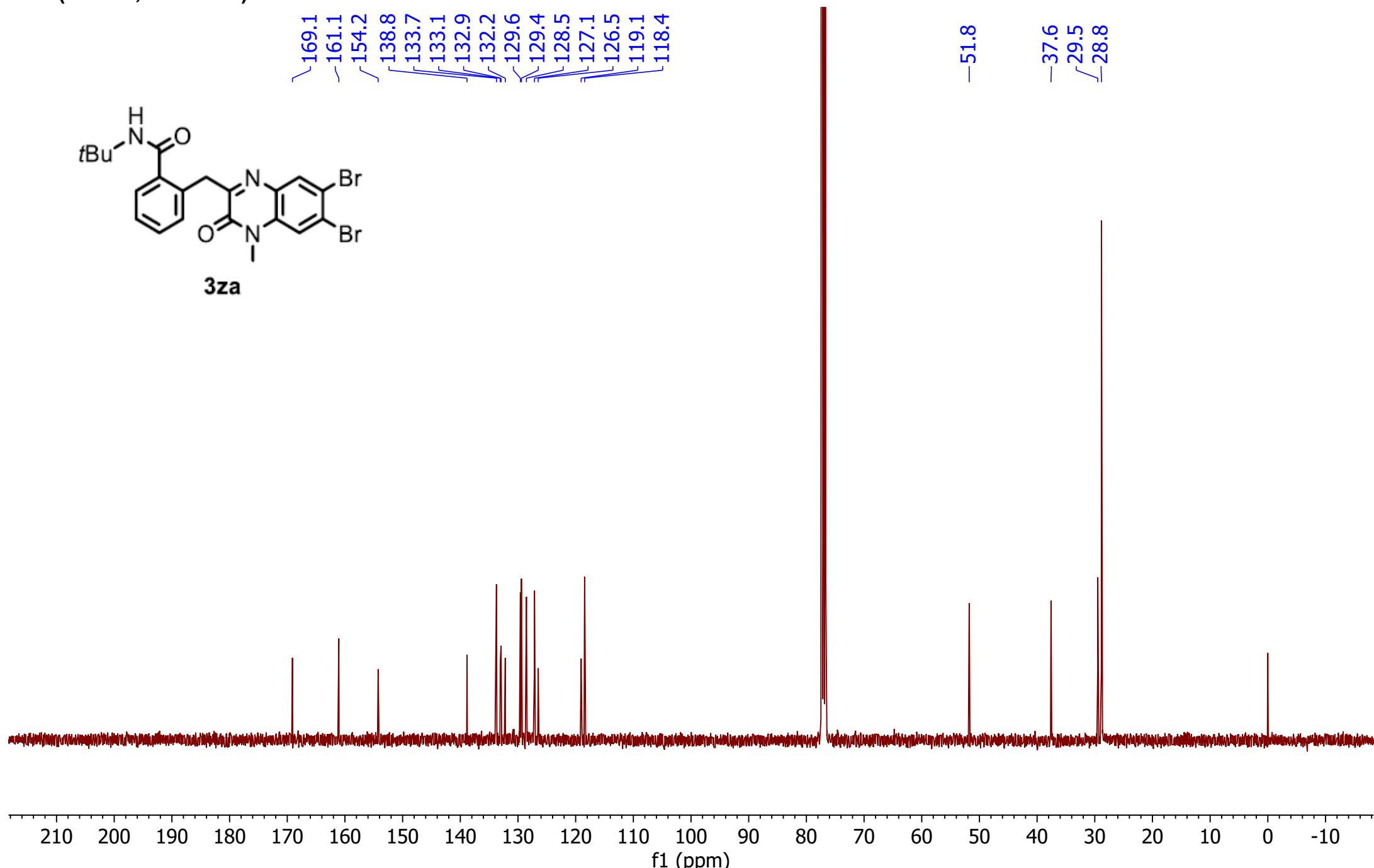
^1H NMR Spectrum of **3za**

¹³C (CDCl₃, 101 MHz)



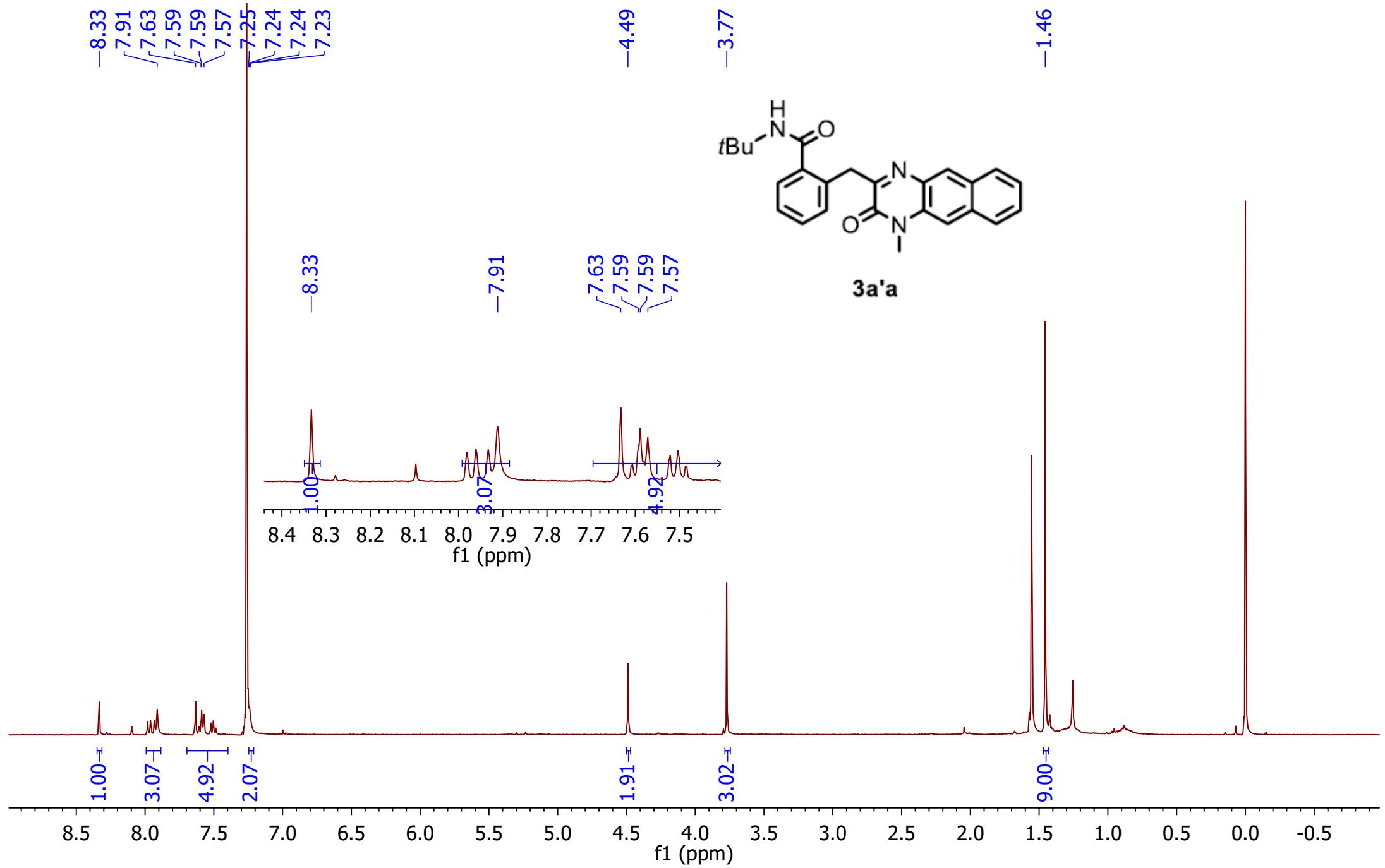
169.1
161.1
154.2
138.8
133.7
133.1
132.9
132.2
129.6
129.4
128.5
127.1
126.5
119.1
118.4

-51.8
-37.6
29.5
28.8



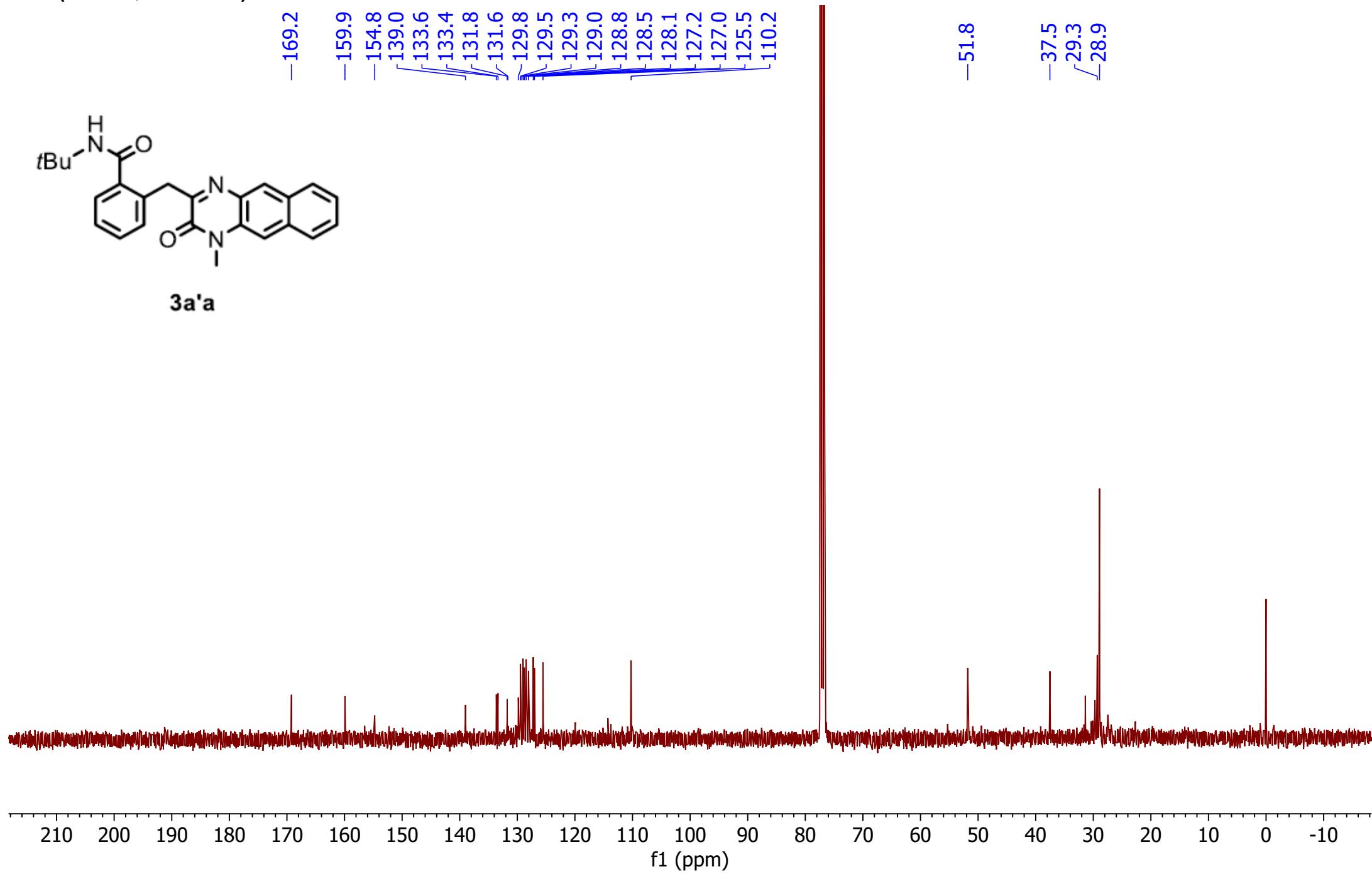
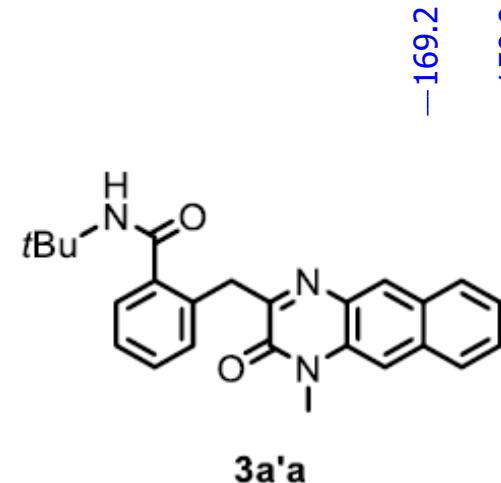
¹³C NMR Spectrum of 3za

1H (CDCl₃, 400 MHz)



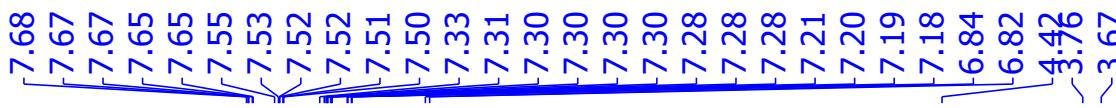
¹H NMR Spectrum of **3a'a**

¹³C (CDCl₃, 101 MHz)

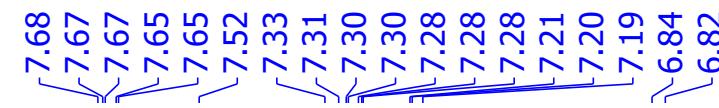


¹³C NMR Spectrum of **3a'a**

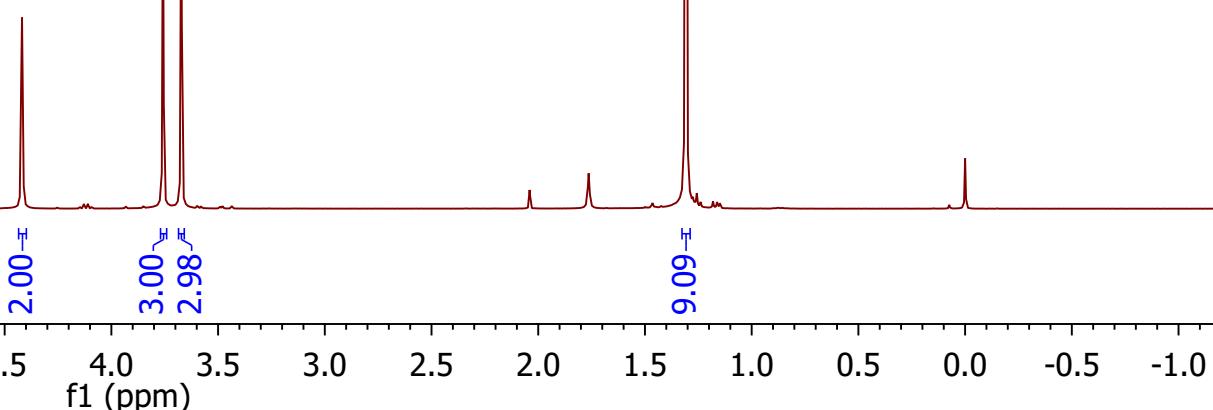
1H (CDCl₃, 400 MHz)



3ab

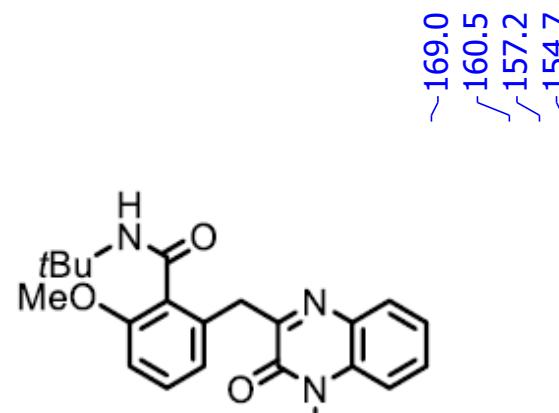


7.8 7.7 7.6 7.5 7.4 7.3 7.2 7.1 7.0 6.9 6.8
f1 (ppm)

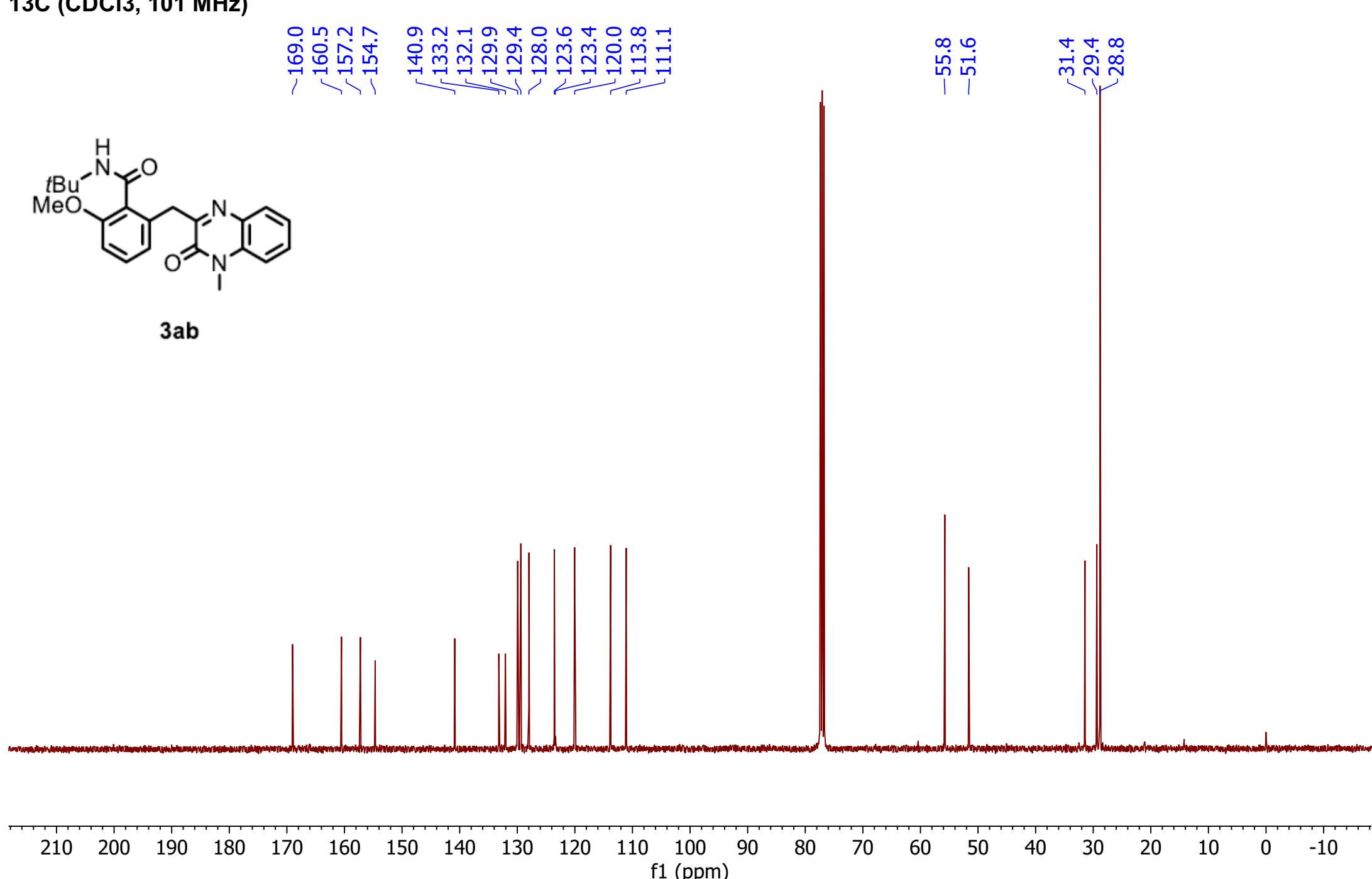


¹H NMR Spectrum of **3ab**

¹³C (CDCl₃, 101 MHz)

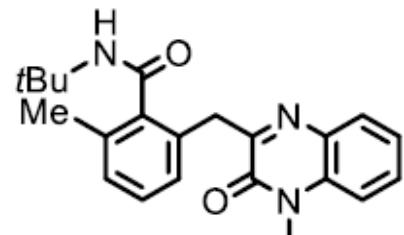


3ab

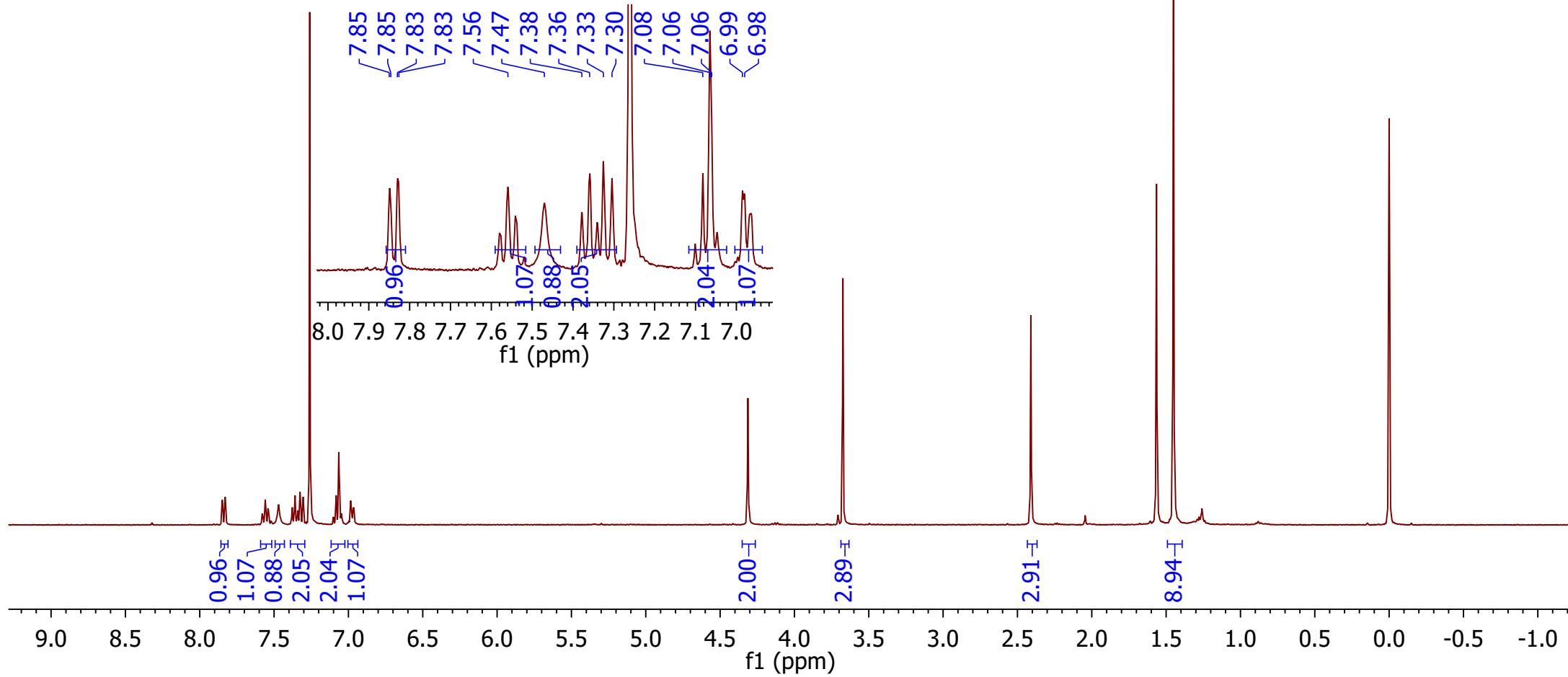


¹³C NMR Spectrum of **3ab**

1H (CDCl₃, 400 MHz)

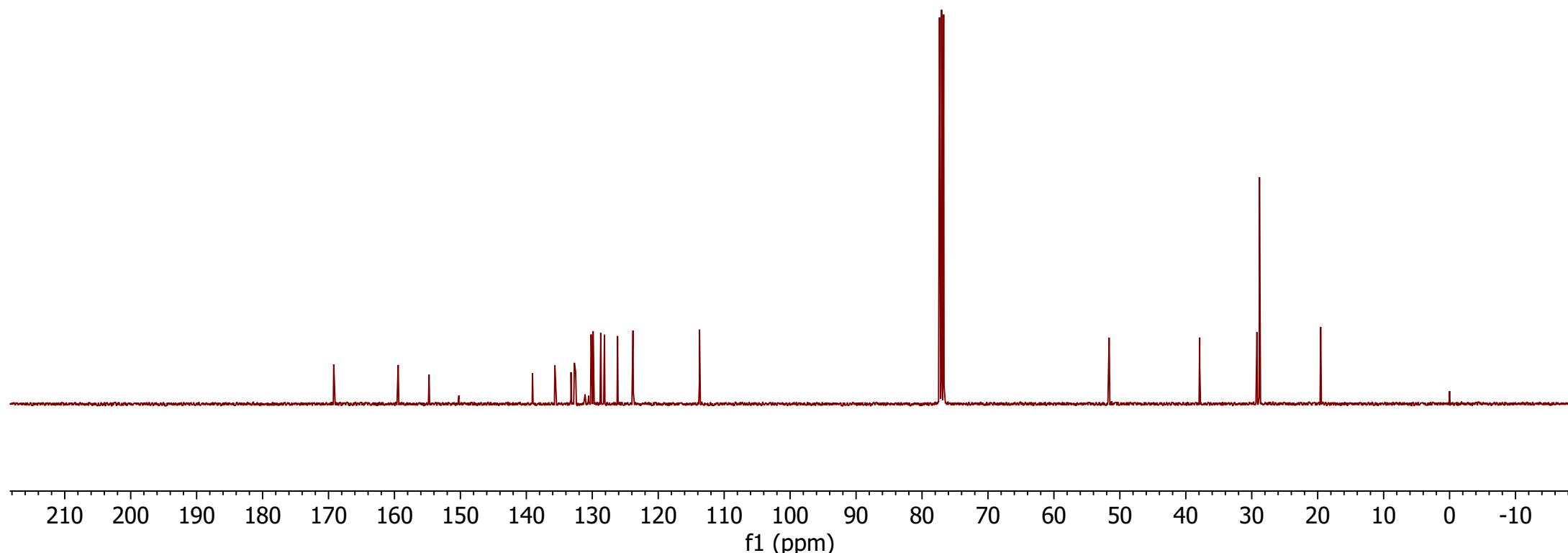
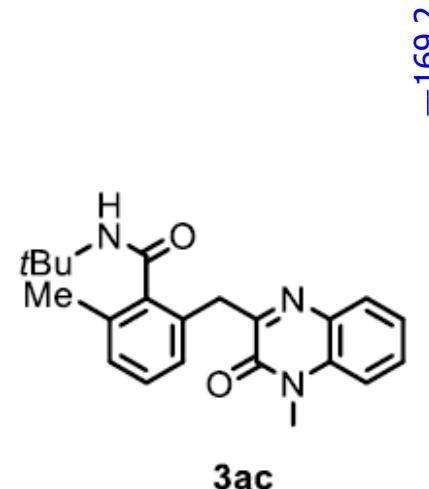


3ac



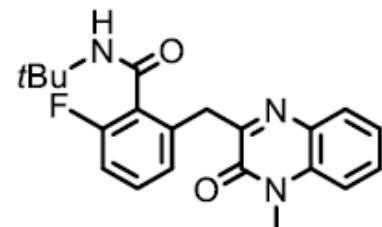
¹H NMR Spectrum of 3ac

¹³C (CDCl₃, 101 MHz)

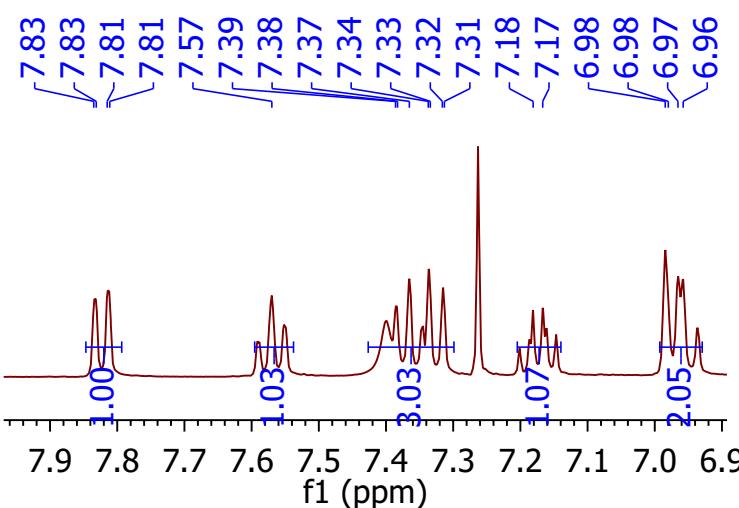


¹³C NMR Spectrum of 3ac

1H (CDCl₃, 400 MHz)



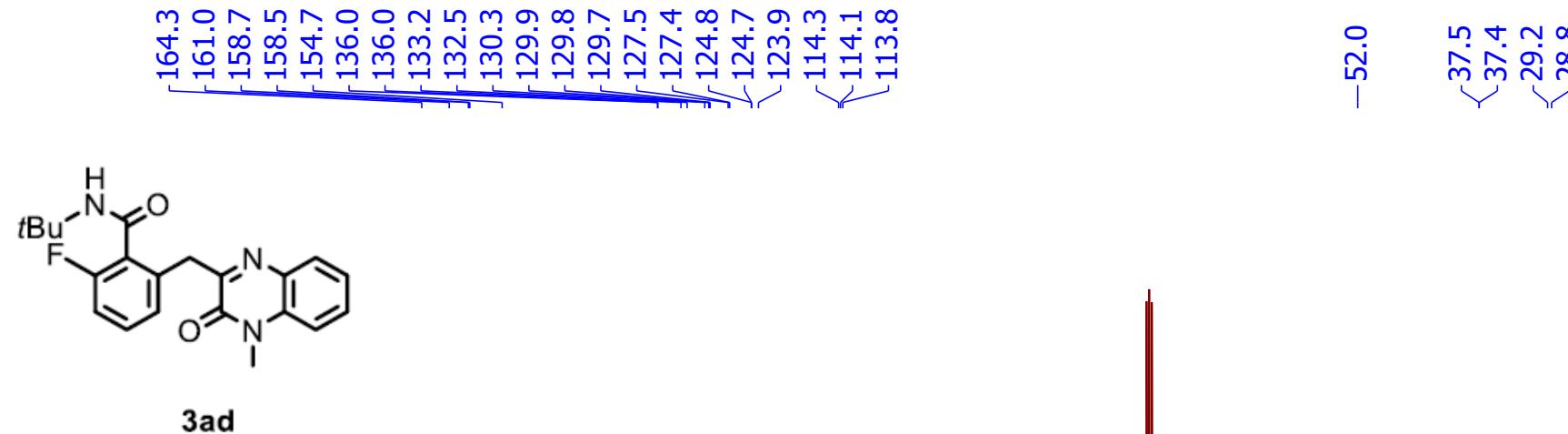
3ad



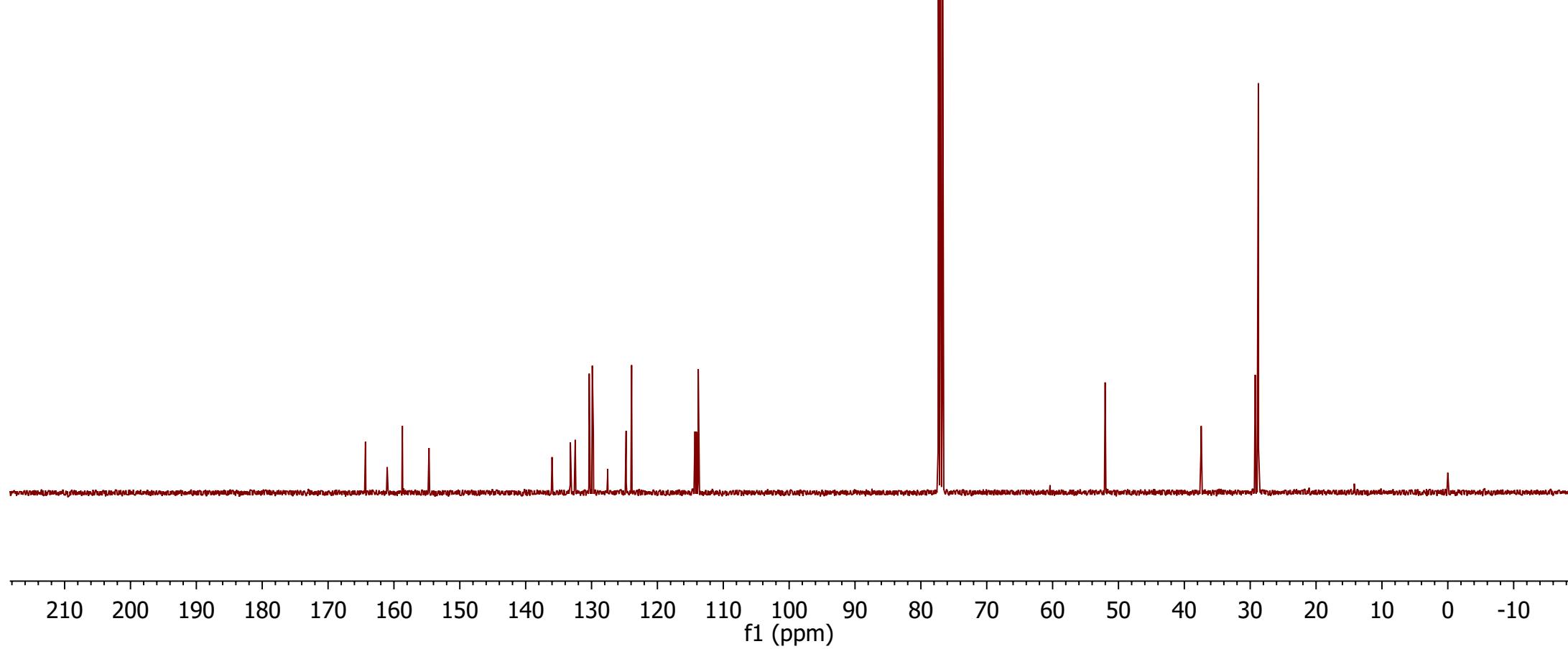
¹H NMR Spectrum of **3ad**

-1.43

¹³C(CDCI₃, 101 MHz)

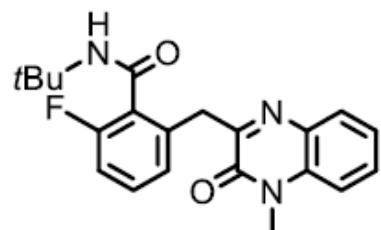


3ad

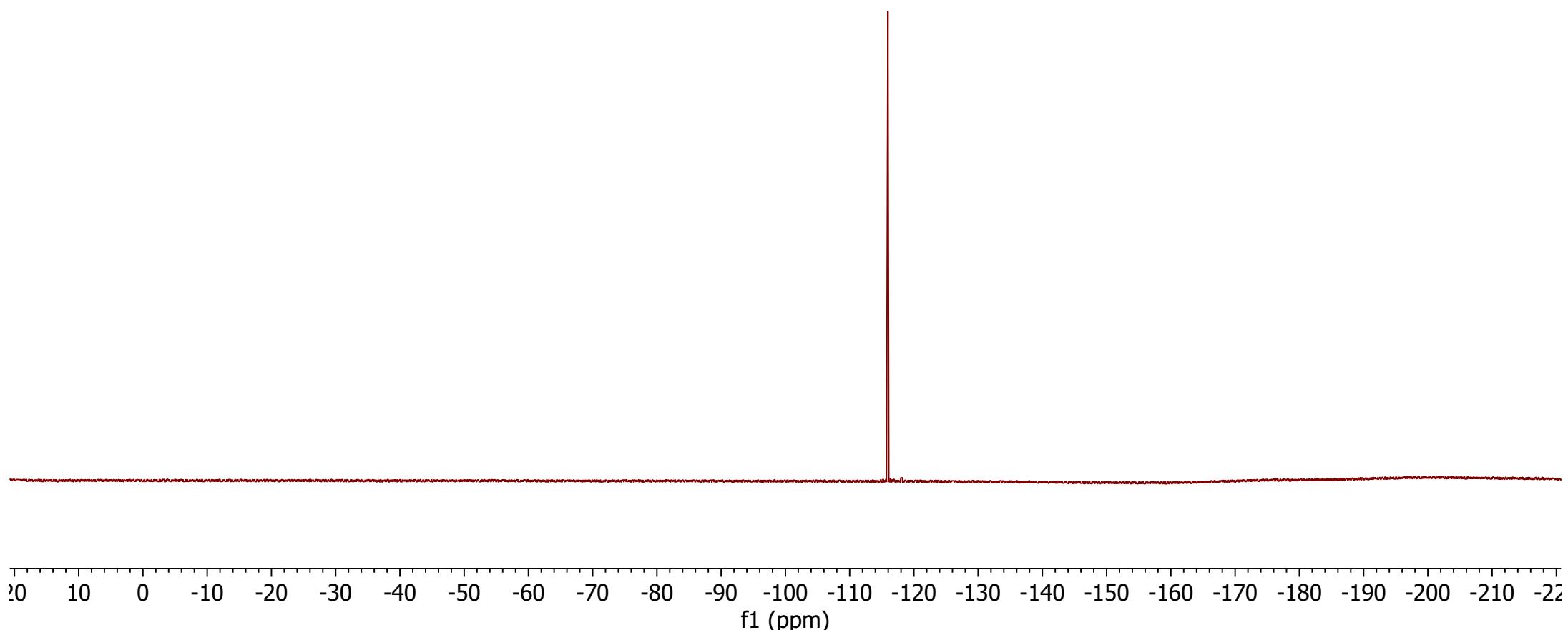


¹³C NMR Spectrum of 3ad

¹⁹F (CDCl₃, 376 MHz)

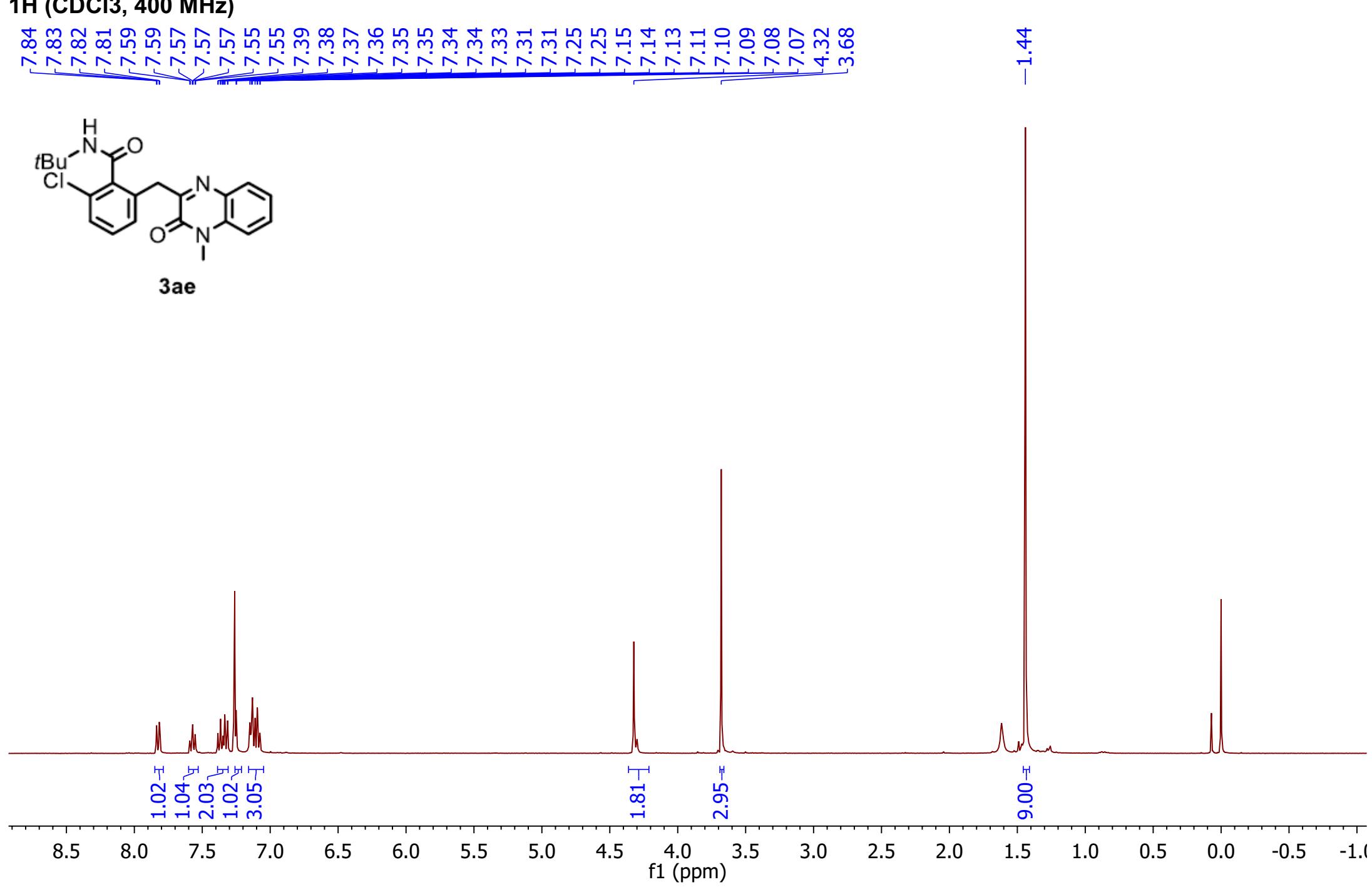
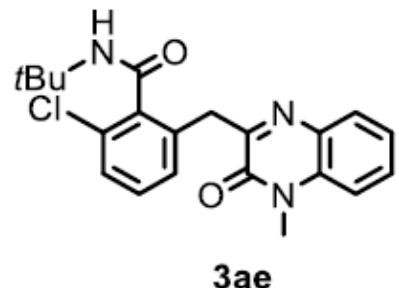


3ad



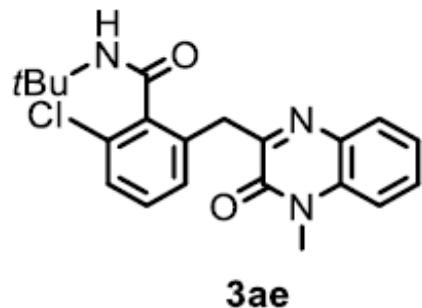
¹⁹F NMR Spectrum of **3ad**

^1H (CDCl₃, 400 MHz)



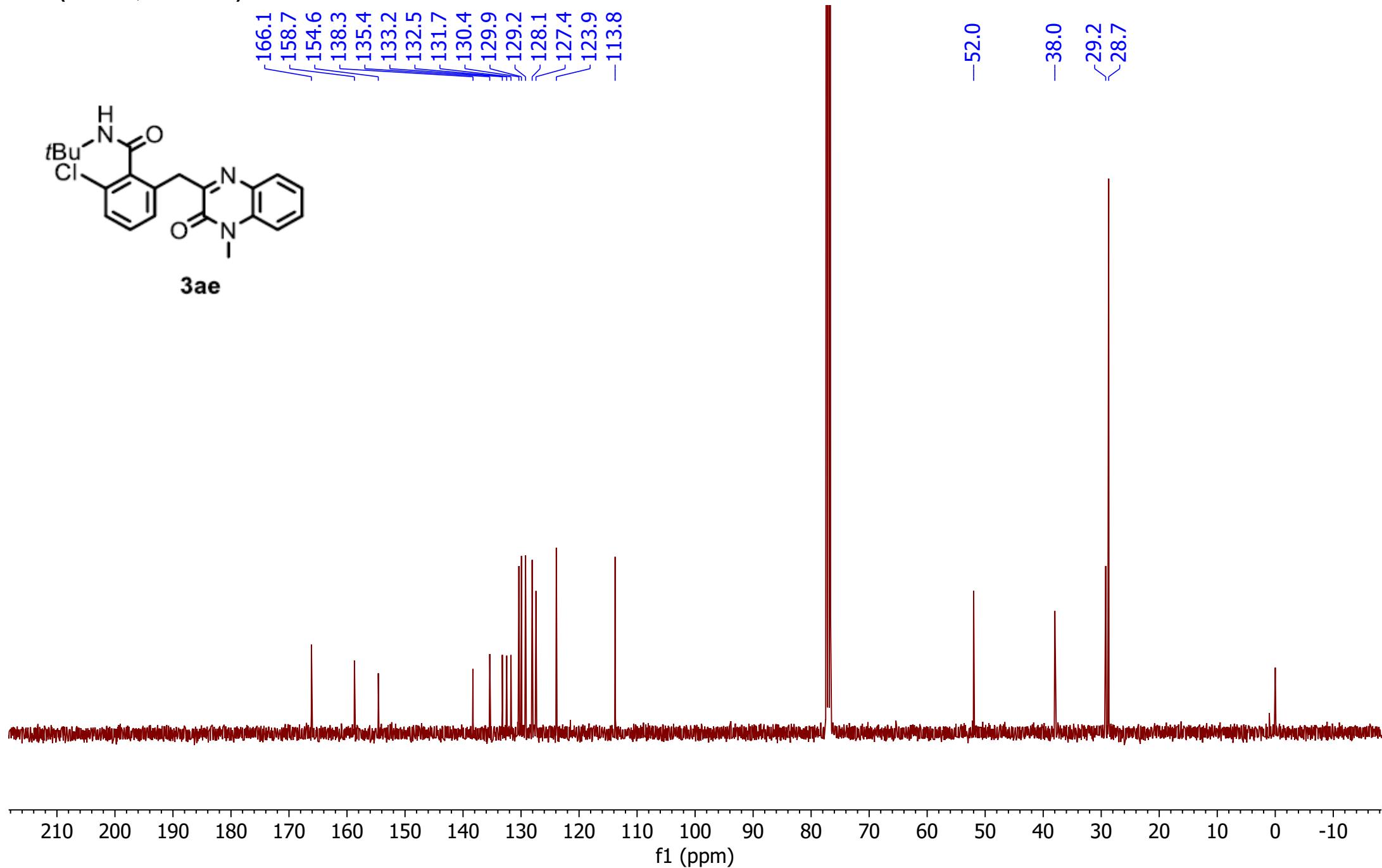
^1H NMR Spectrum of **3ae**

¹³C (CDCl₃, 101 MHz)



166.1
158.7
154.6
138.3
135.4
133.2
132.5
131.7
130.4
129.9
129.2
128.1
127.4
123.9
-113.8

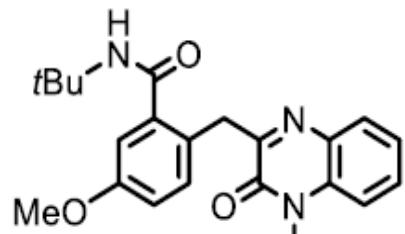
-52.0
-38.0
29.2
28.7



¹³C NMR Spectrum of 3ae

1H (CDCl₃, 400 MHz)

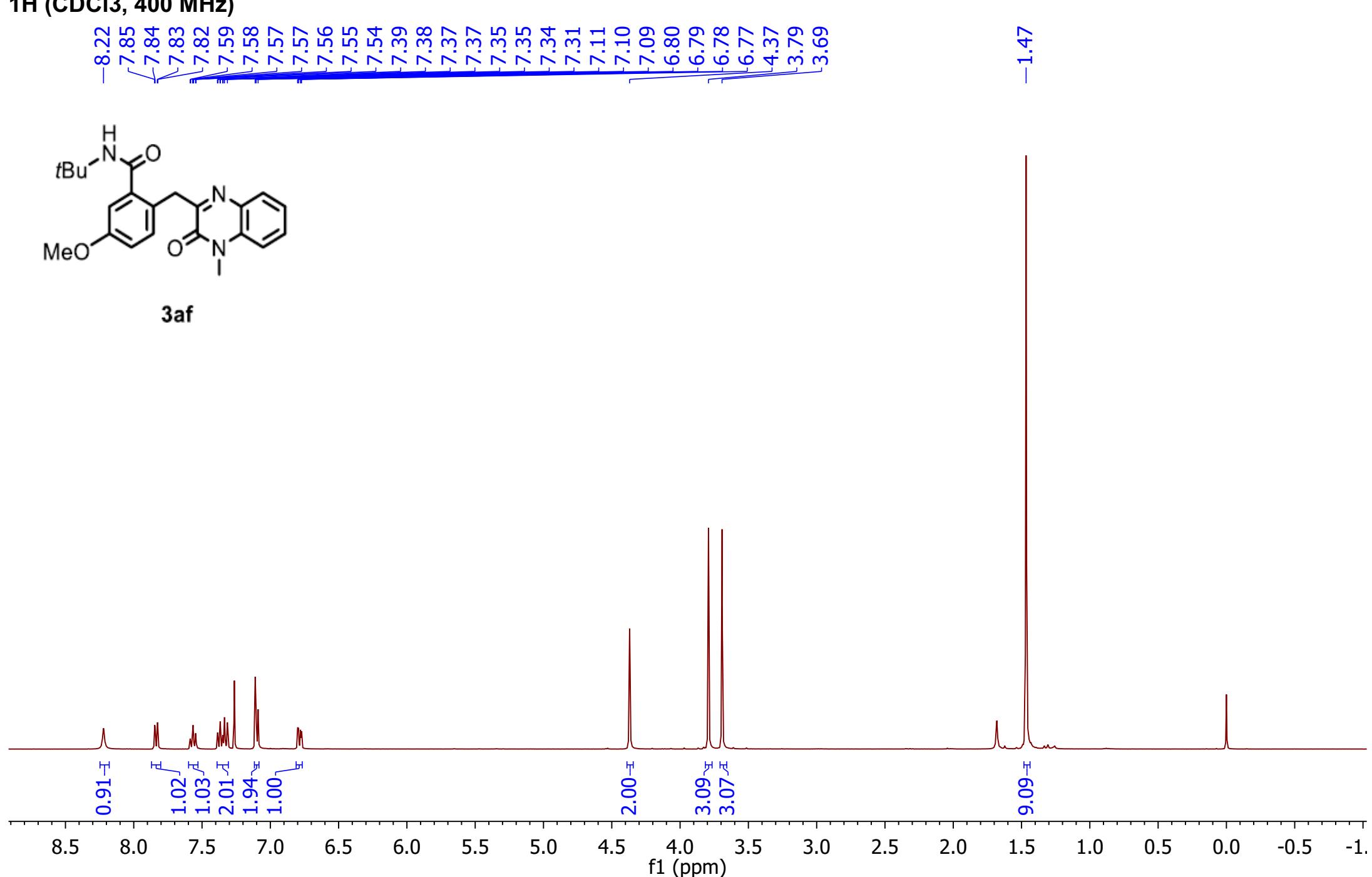
-8.22
7.85
7.84
7.83
7.82
7.59
7.58
7.57
7.56
7.55
7.54
7.39
7.38
7.37
7.35
7.35
7.34
7.31
7.10
7.09
6.80
6.79
6.78
6.77
4.37
3.79
3.69



3af

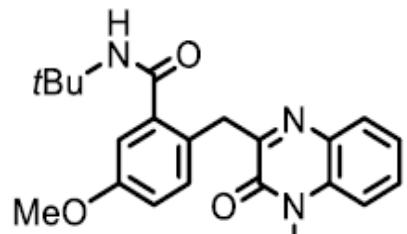
-1.47

9.09



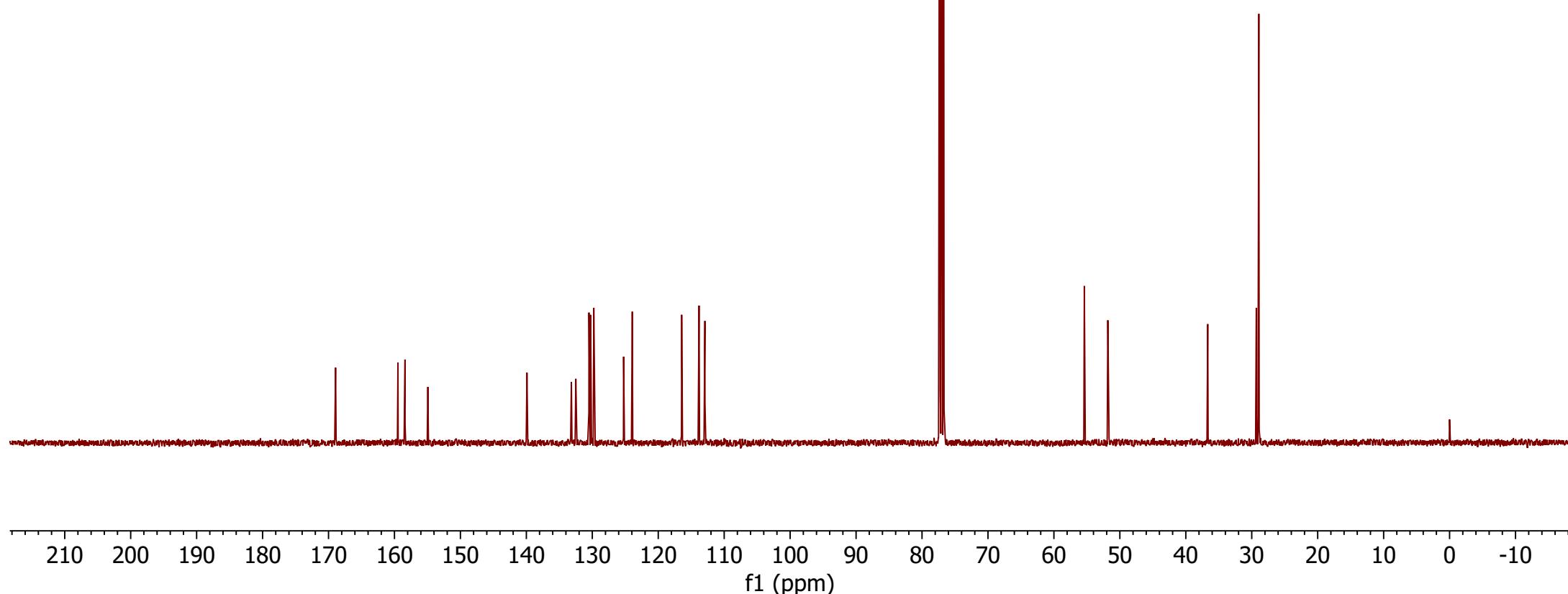
¹H NMR Spectrum of **3af**

¹³C (CDCl₃, 101 MHz)



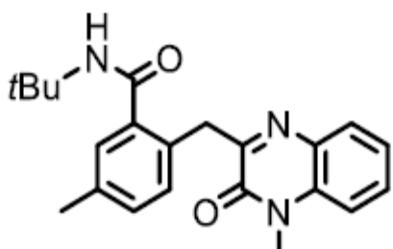
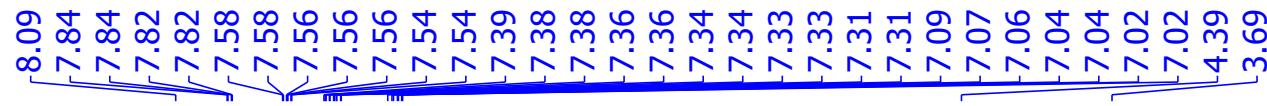
3af

Peak list (ppm): -168.9, >159.5, >158.4, >154.9, 139.9, {133.2, 132.5}, 130.5, 130.3, 129.8, 125.3, 123.9, 116.4, 113.8, 112.9, -55.4, -51.8, -36.7, {29.3, 28.9}

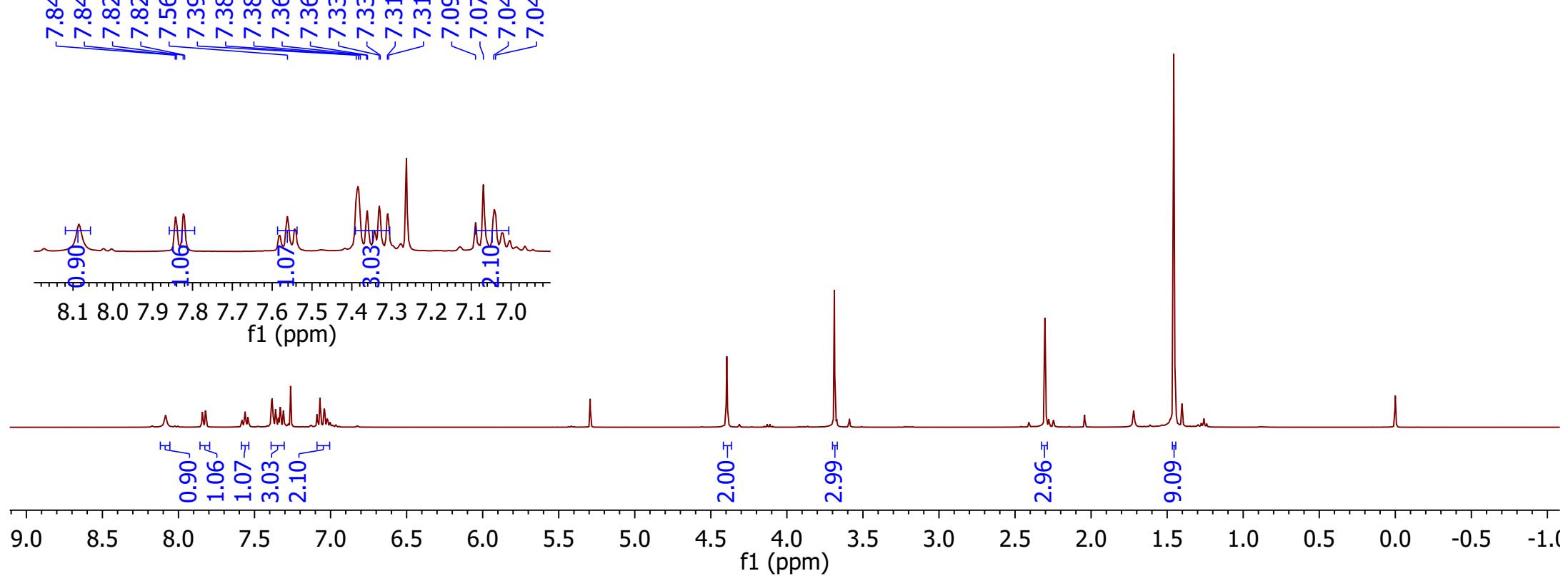
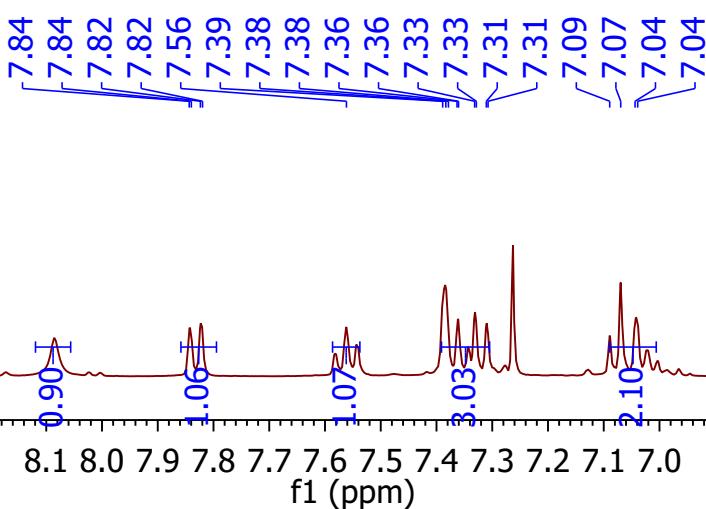


¹³C NMR Spectrum of 3af

1H (CDCl₃, 400 MHz)

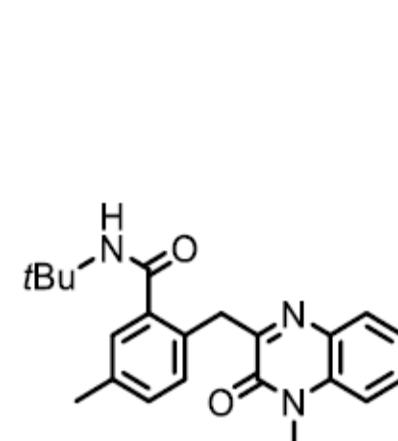


3ag

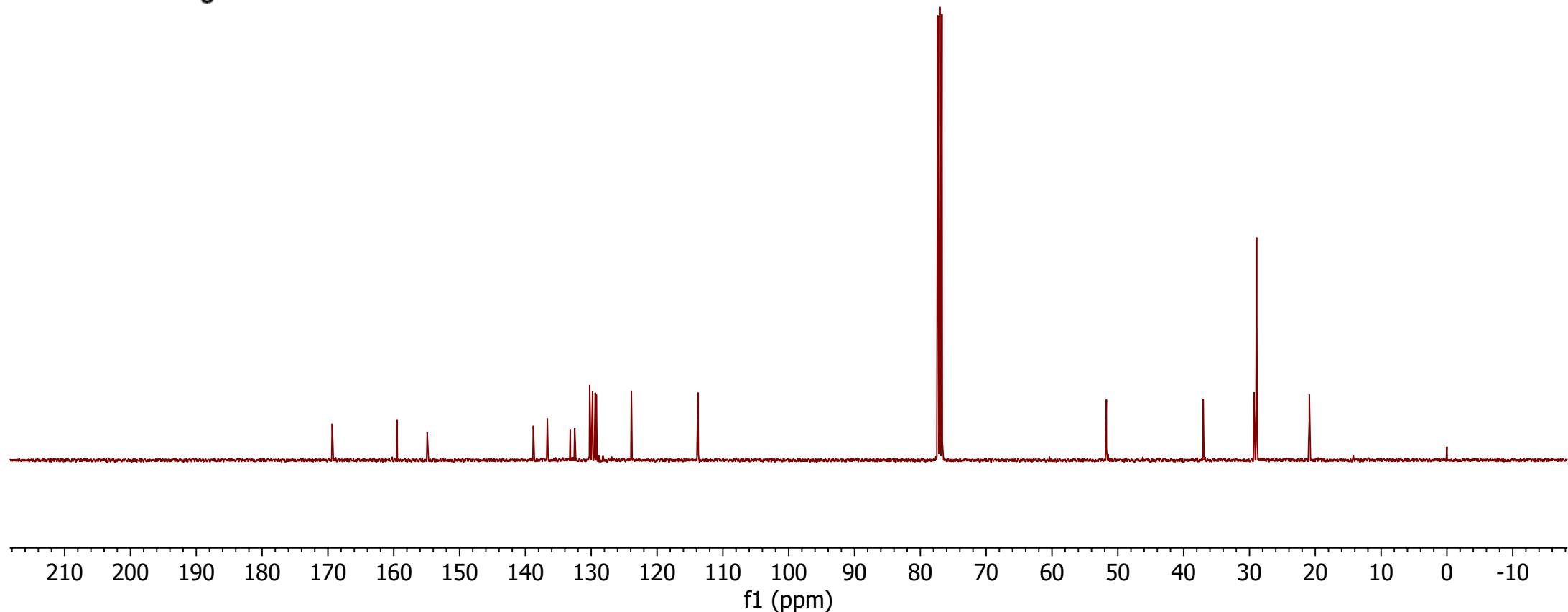


¹H NMR Spectrum of **3ag**

¹³C (CDCl₃, 101 MHz)

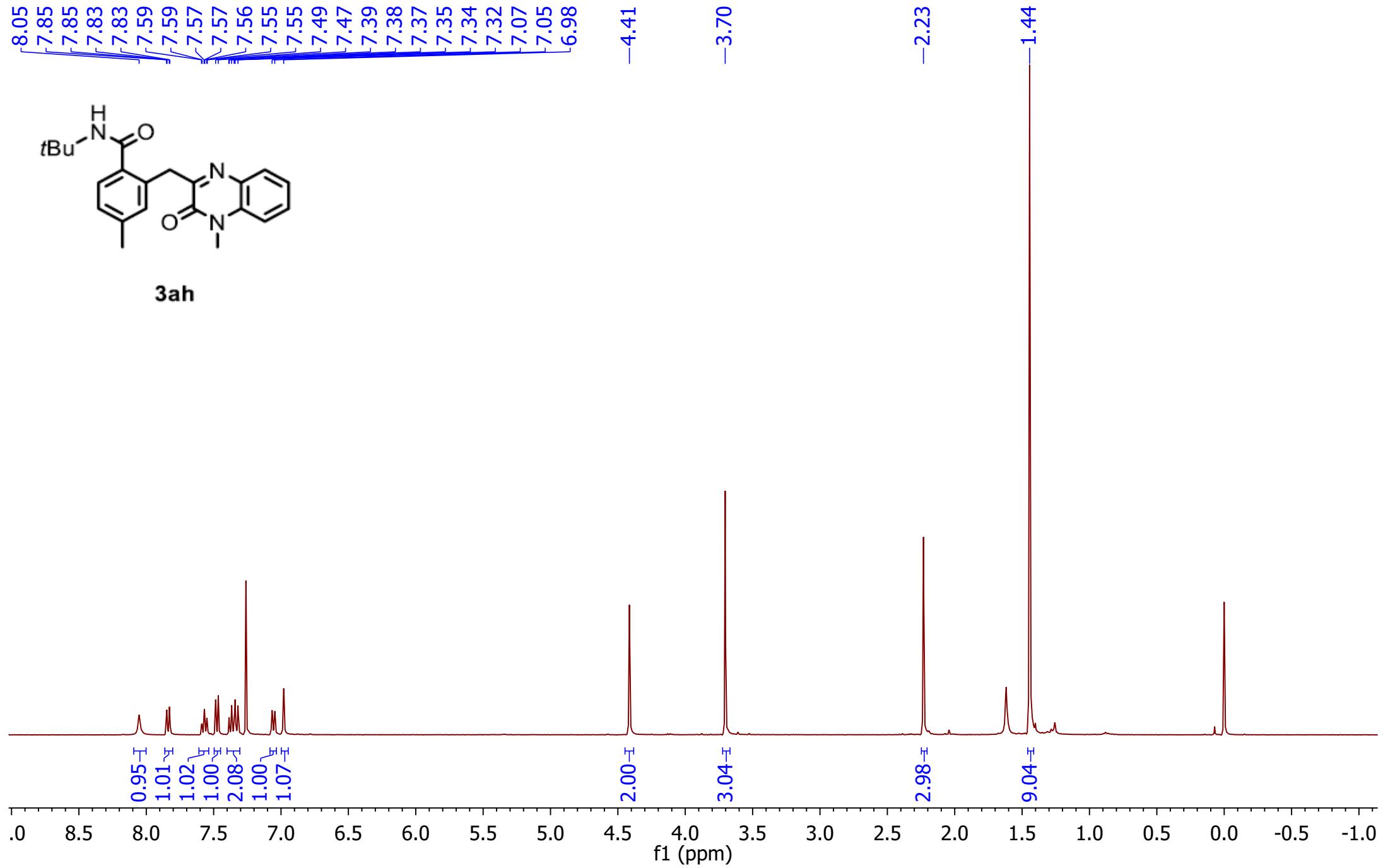


3ag



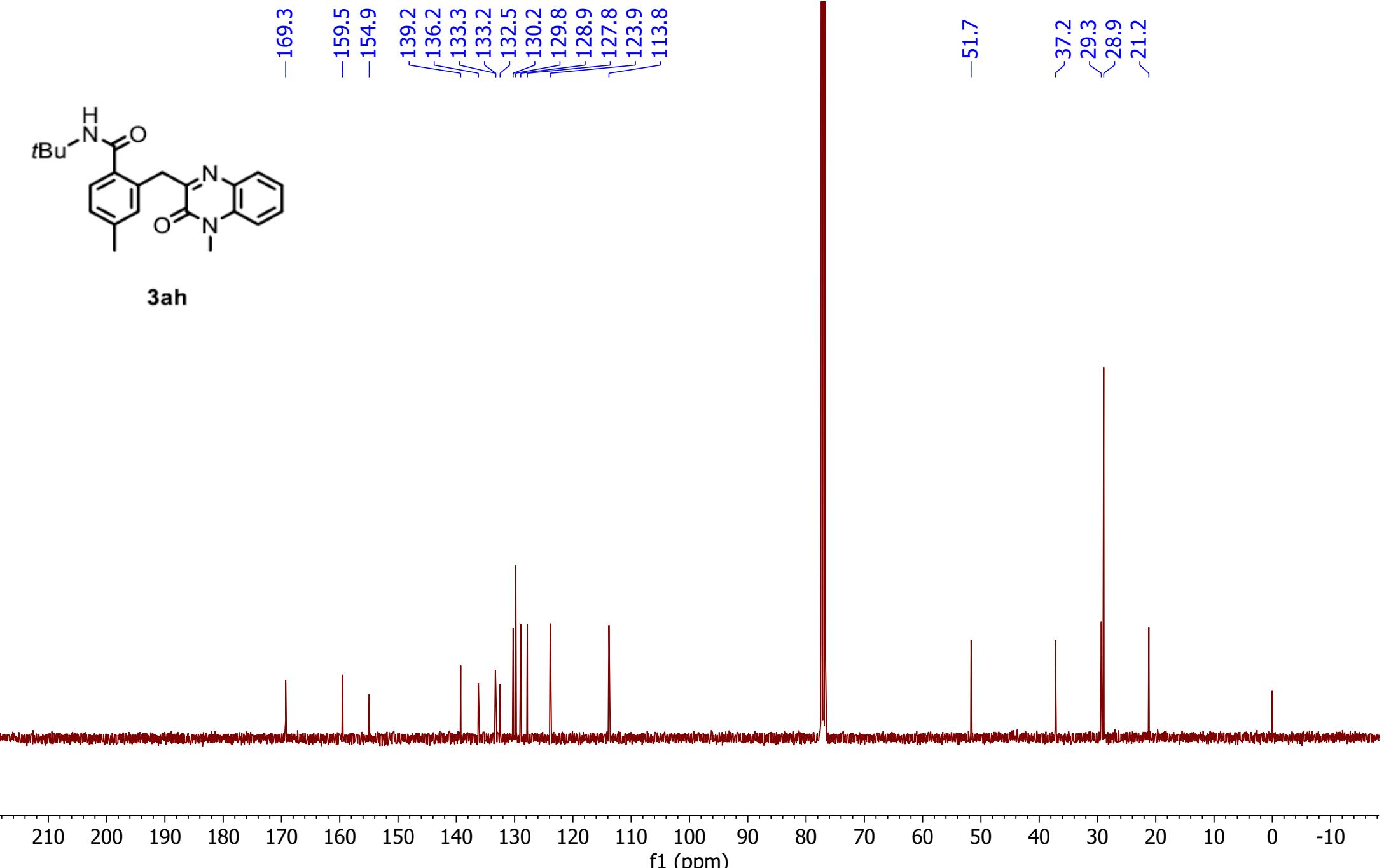
¹³C NMR Spectrum of 3ag

1H (CDCl₃, 400 MHz)



¹H NMR Spectrum of **3ah**

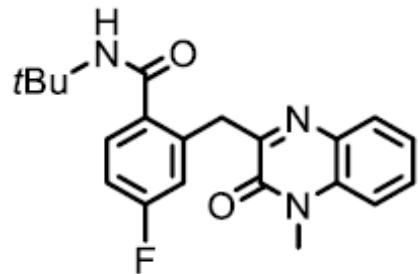
13C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of **3ah**

¹H (CDCl₃, 400 MHz)

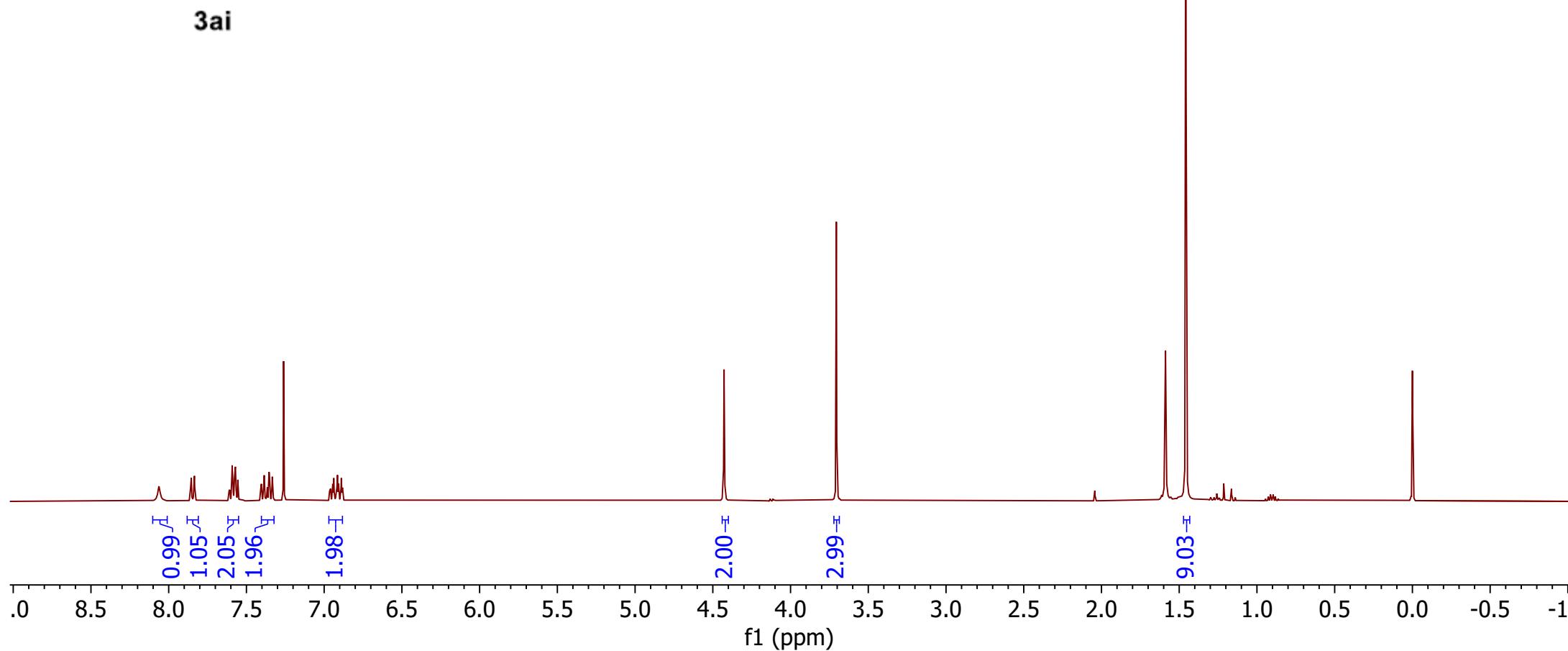
7.84 [7.83
7.59
7.59
7.57
7.57
7.39
7.36
7.35
7.33
6.97
6.94
6.94
6.96
6.92
6.92
6.91
6.91
6.89
6.88]



3ai

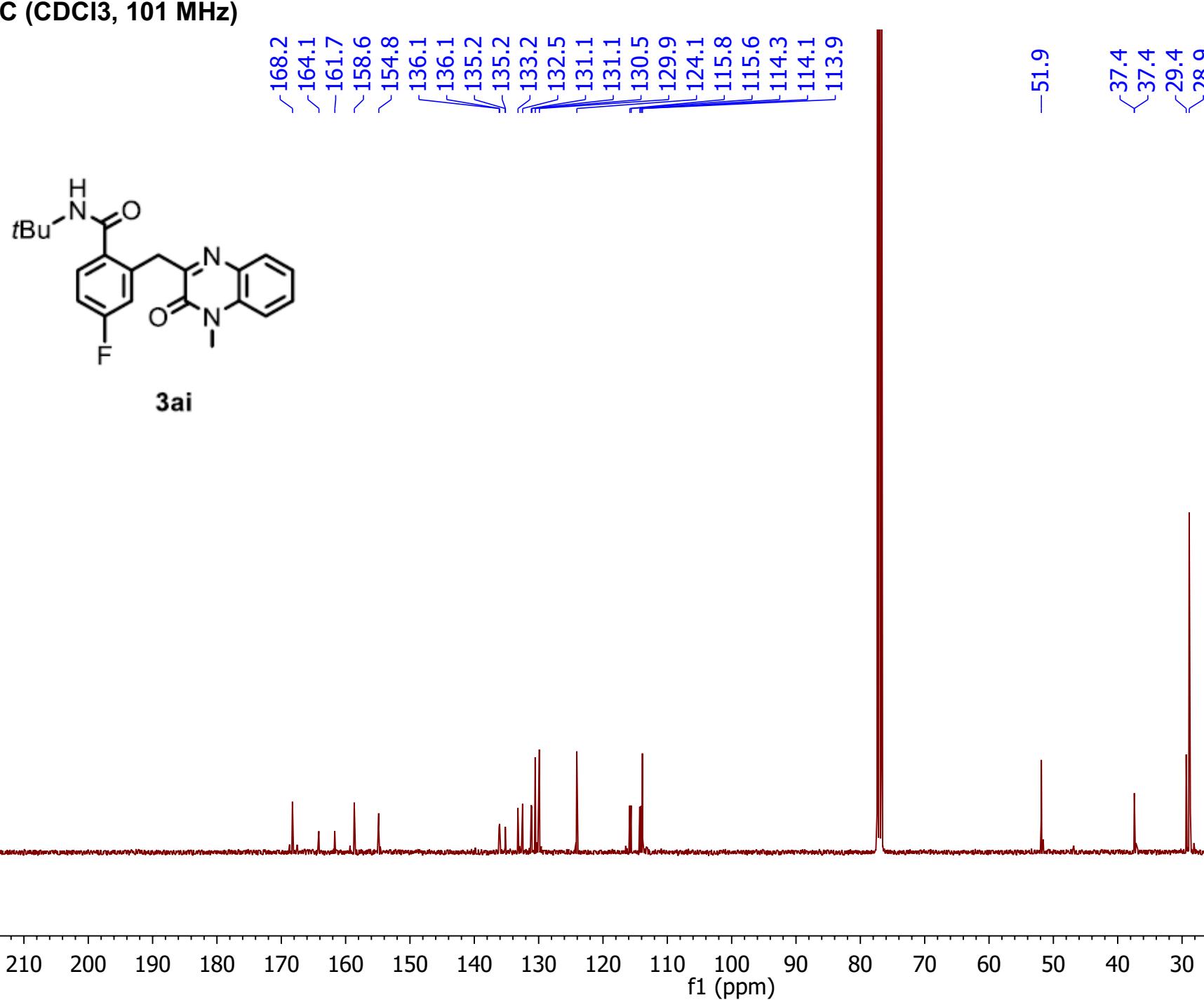
-4.43
-3.71

-1.46



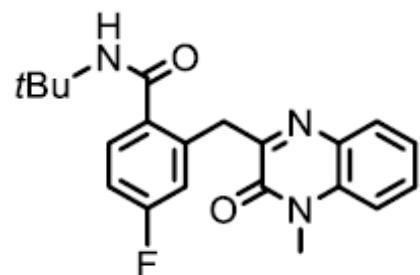
¹H NMR Spectrum of 3ai

¹³C (CDCl₃, 101 MHz)



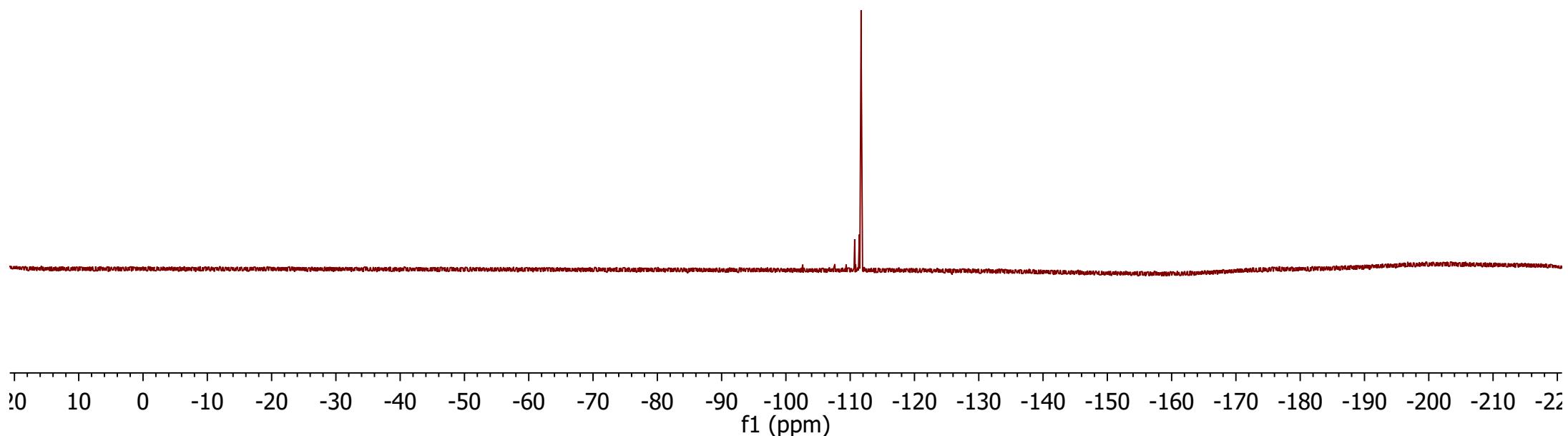
¹³C NMR Spectrum of 3ai

¹⁹F (CDCl₃, 376 MHz)



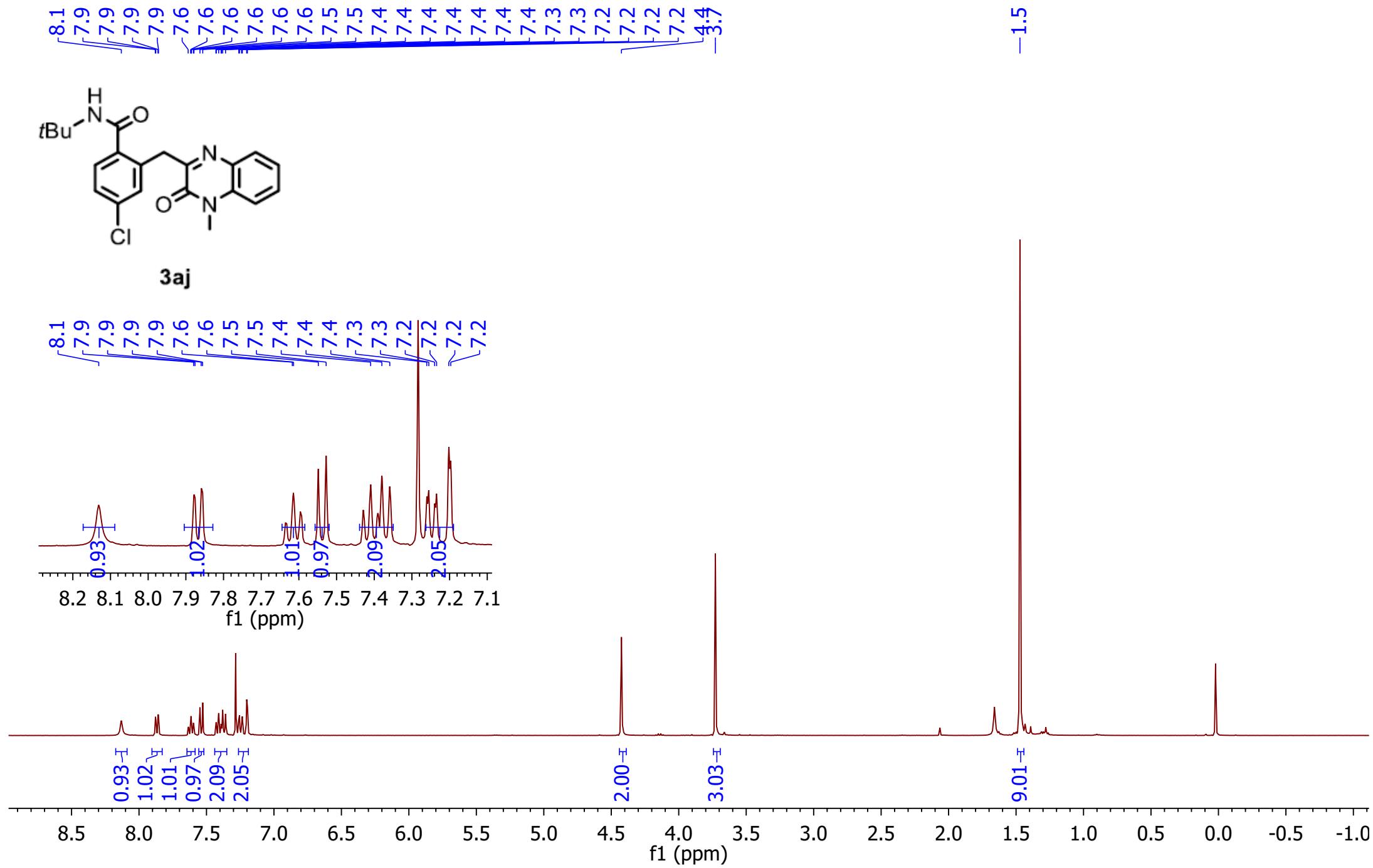
3ai

-111.7



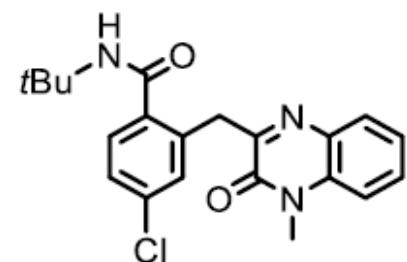
¹⁹F NMR Spectrum of 3ai

1H (CDCl₃, 400 MHz)



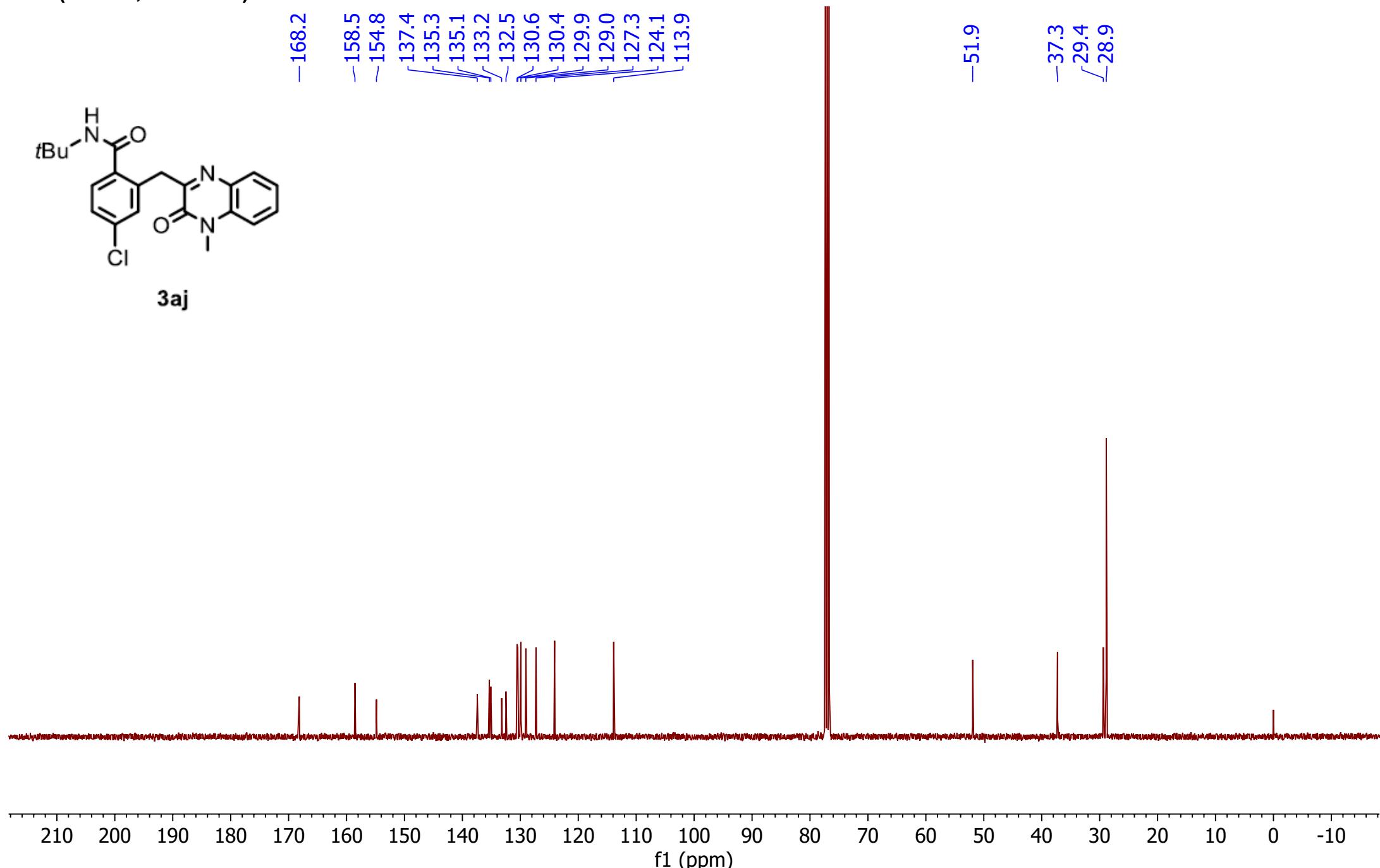
¹H NMR Spectrum of 3aj

¹³C (CDCl₃, 101 MHz)



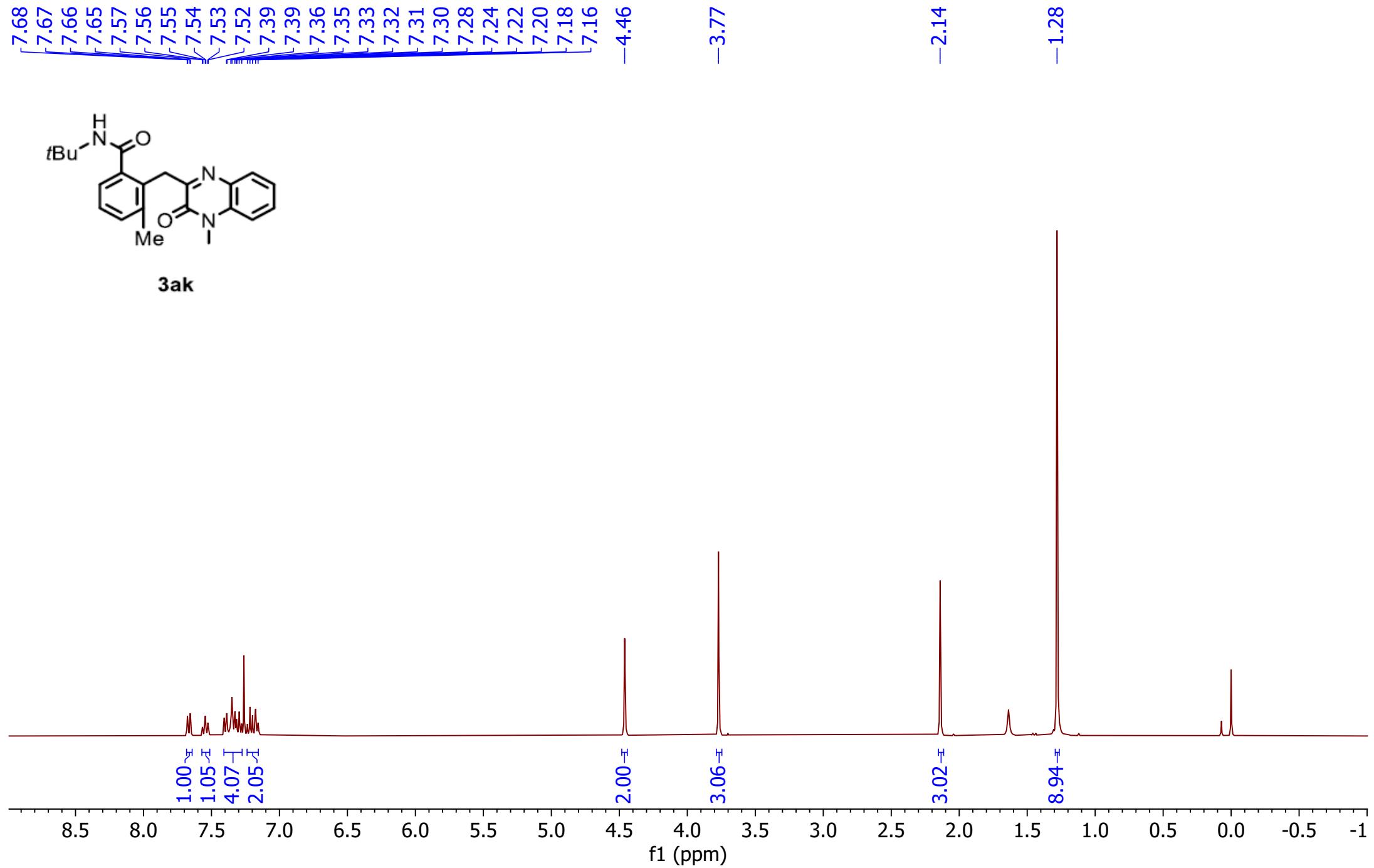
3aj

-168.2
-158.5
-154.8
137.4
135.3
135.1
133.2
132.5
130.6
130.4
129.9
129.0
127.3
124.1
113.9
-51.9
-37.3
29.4
28.9



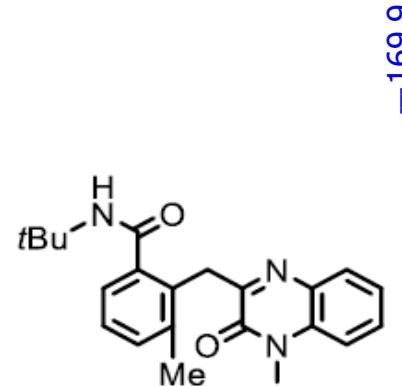
¹³C NMR Spectrum of 3aj

1H (CDCl₃, 400 MHz)

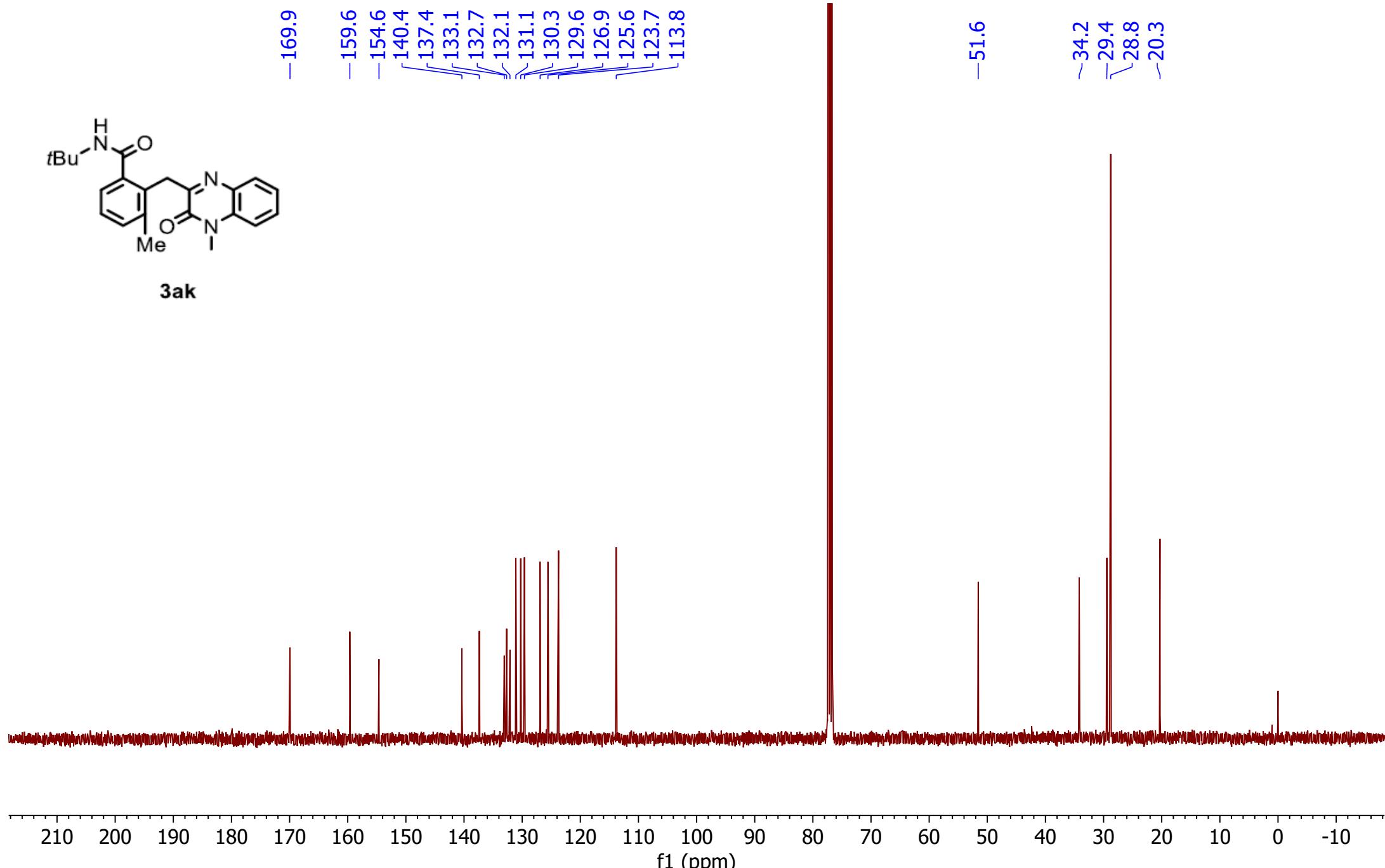


¹H NMR Spectrum of **3ak**

¹³C (CDCl₃, 101 MHz)

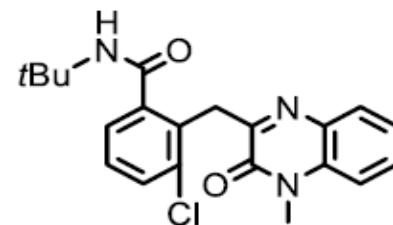
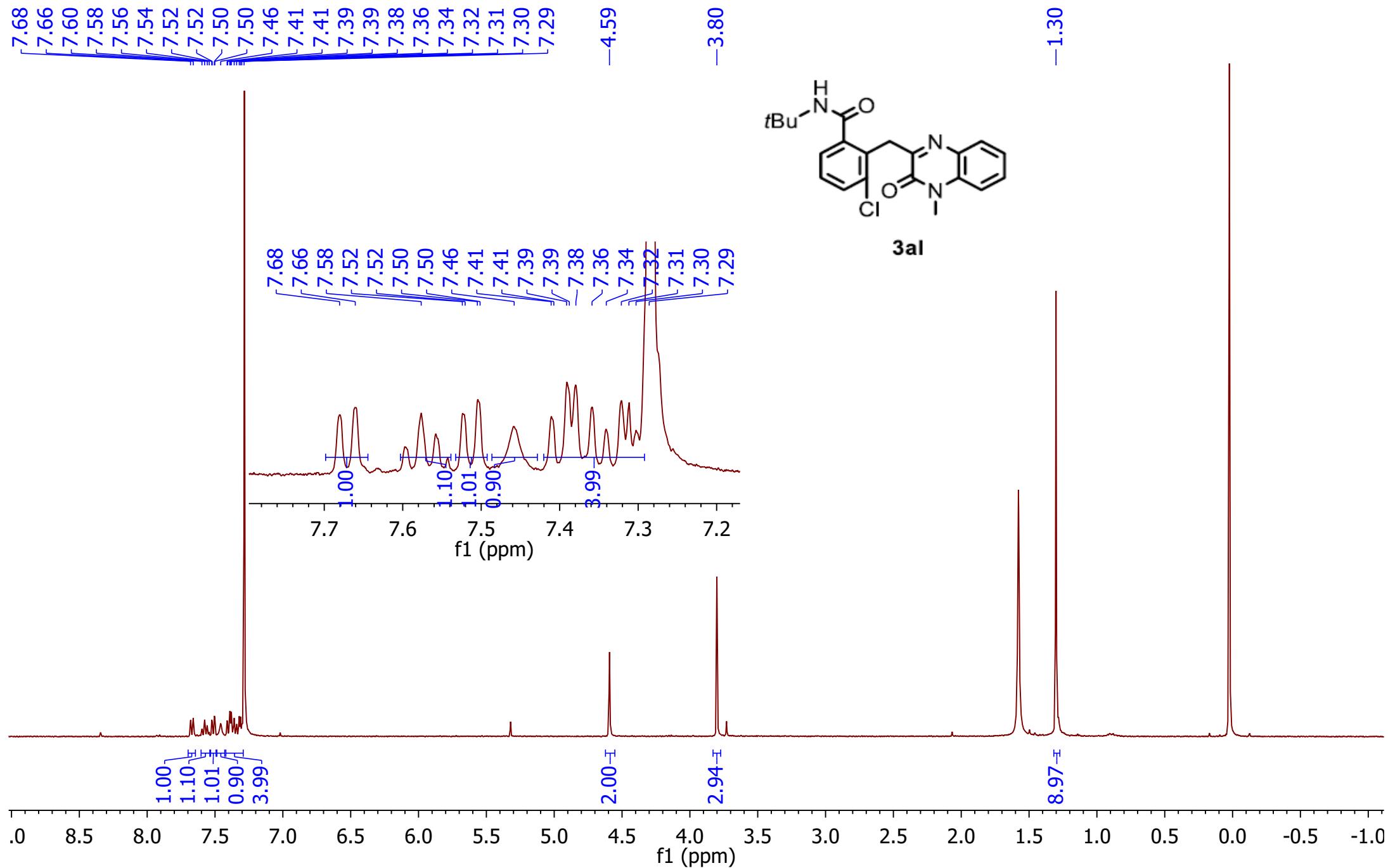


3ak



¹³C NMR Spectrum of 3ak

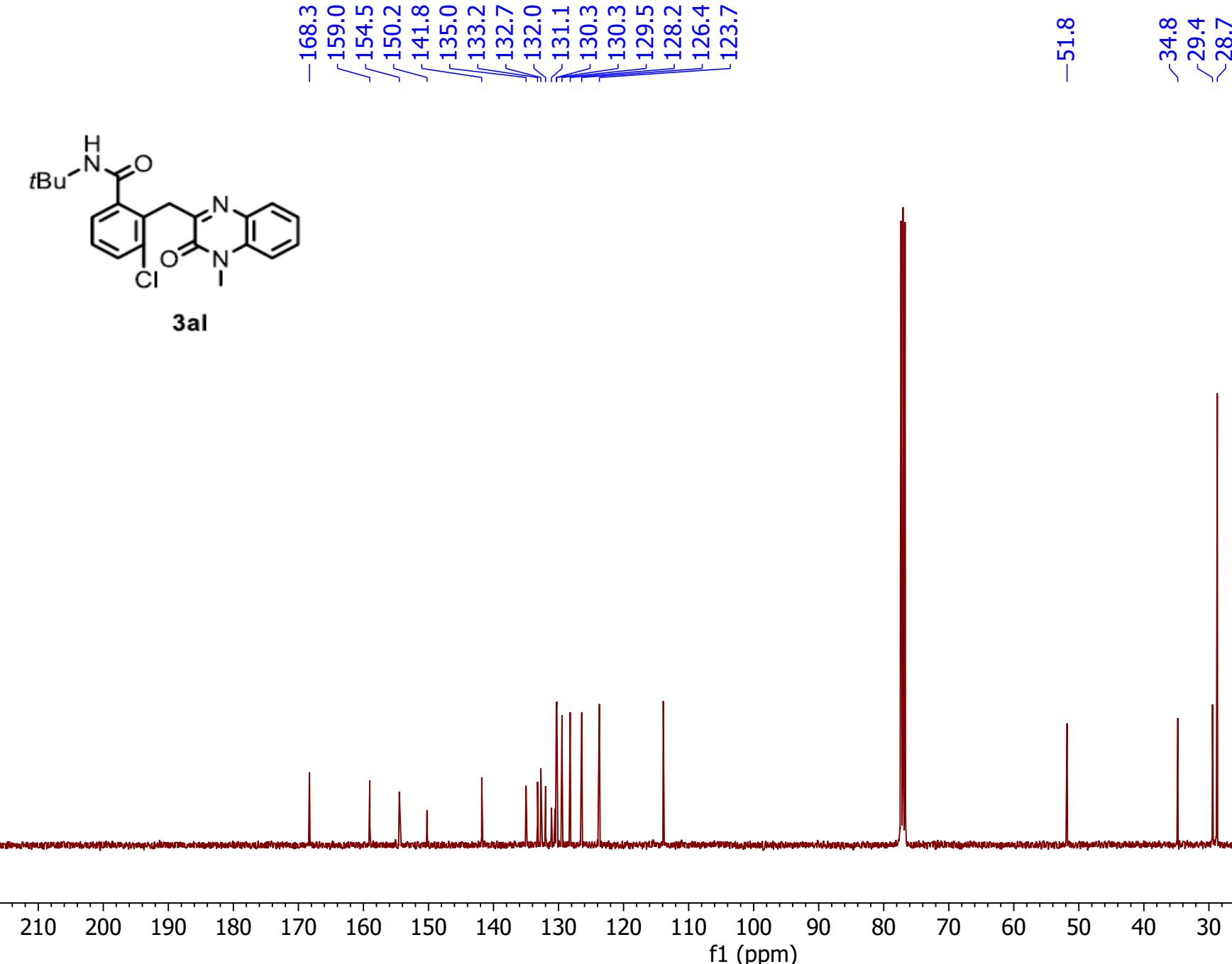
1H (CDCl₃, 400 MHz)



3al

¹H NMR Spectrum of **3al**

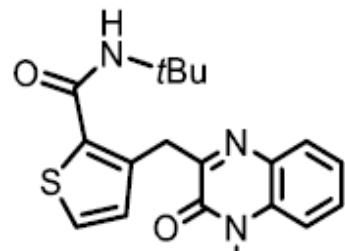
¹³C (CDCl₃, 101 MHz)



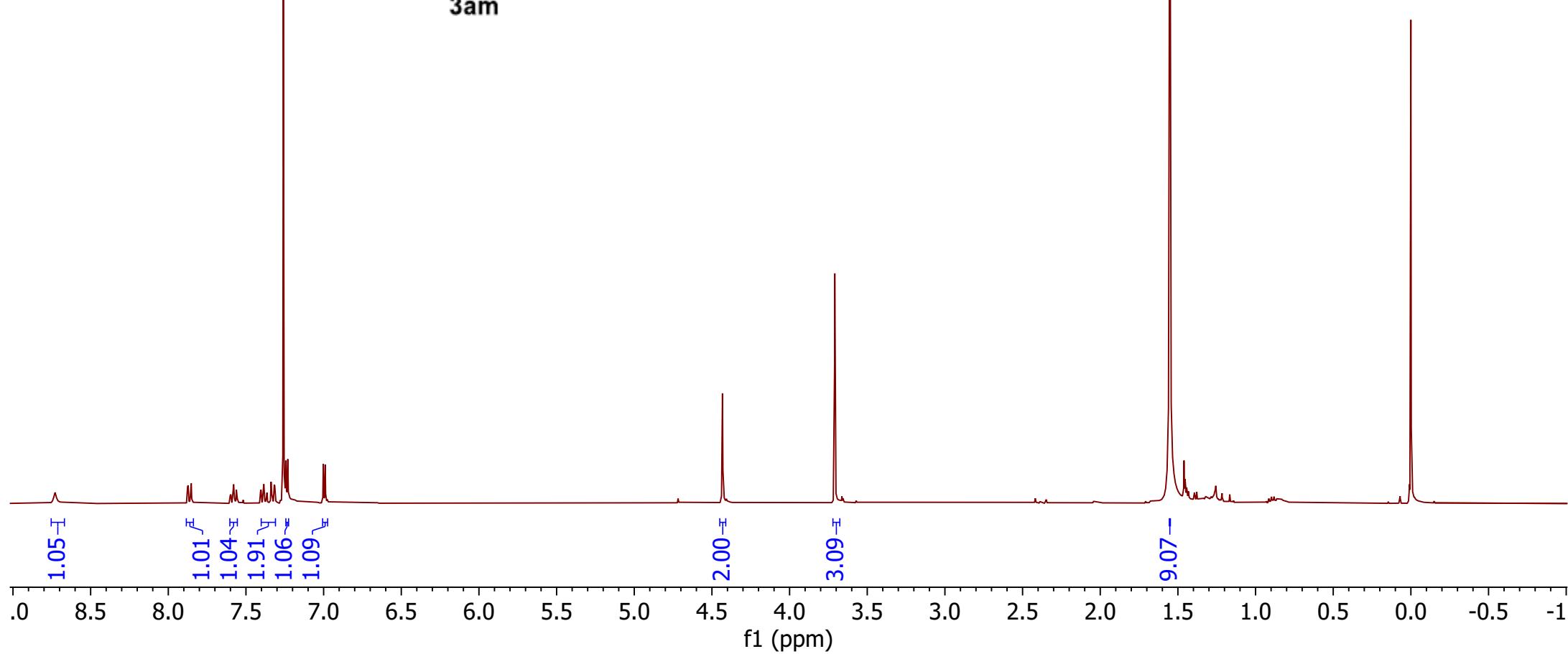
¹³C NMR Spectrum of 3al

¹H (CDCl₃, 400 MHz)

7.88
7.87
7.86
7.85
7.58
7.58
7.56
7.56
7.41
7.40
7.39
7.39
7.38
7.37
7.36
7.34
7.34
7.32
7.31
7.26
7.24
7.23
7.00
6.99
4.43
3.71

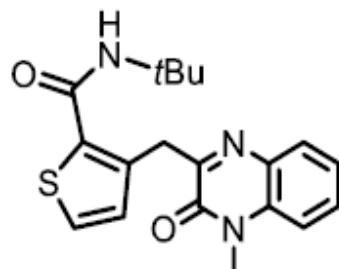


3am



¹H NMR Spectrum of **3am**

¹³C (CDCl₃, 101 MHz)

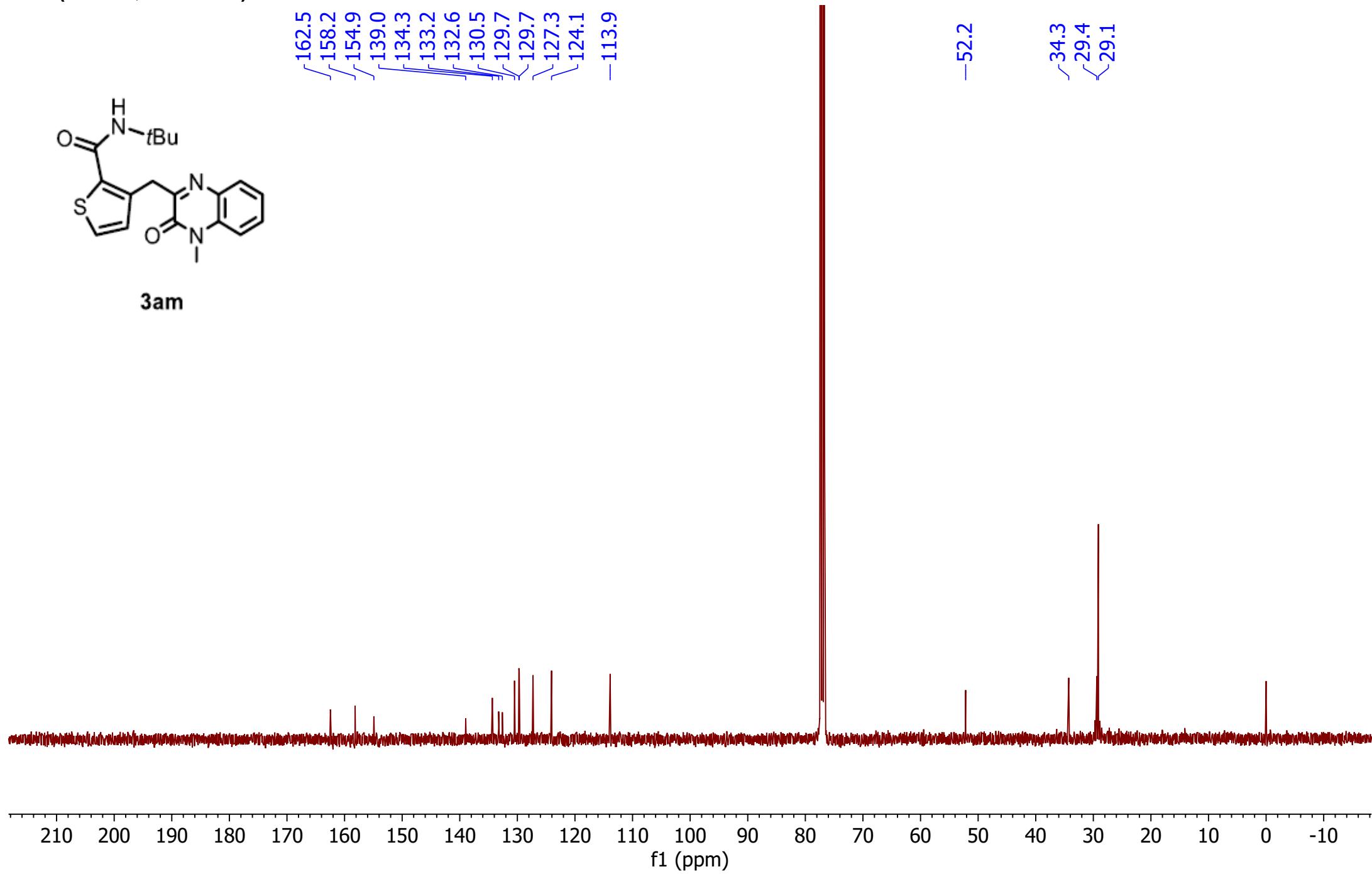


3am

162.5
158.2
154.9
139.0
134.3
133.2
132.6
130.5
129.7
129.7
127.3
124.1
-113.9

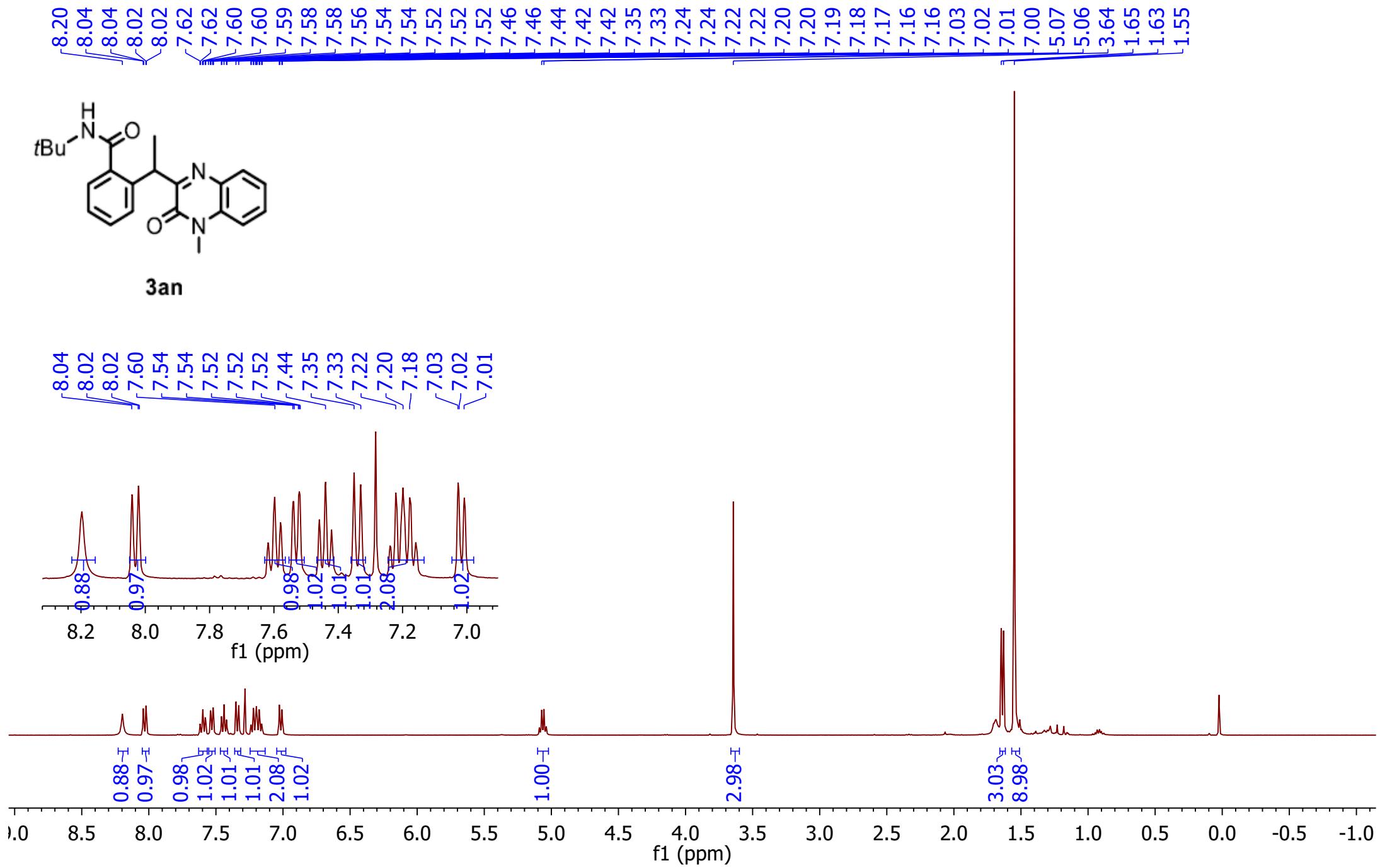
-52.2

34.3
29.4
29.1



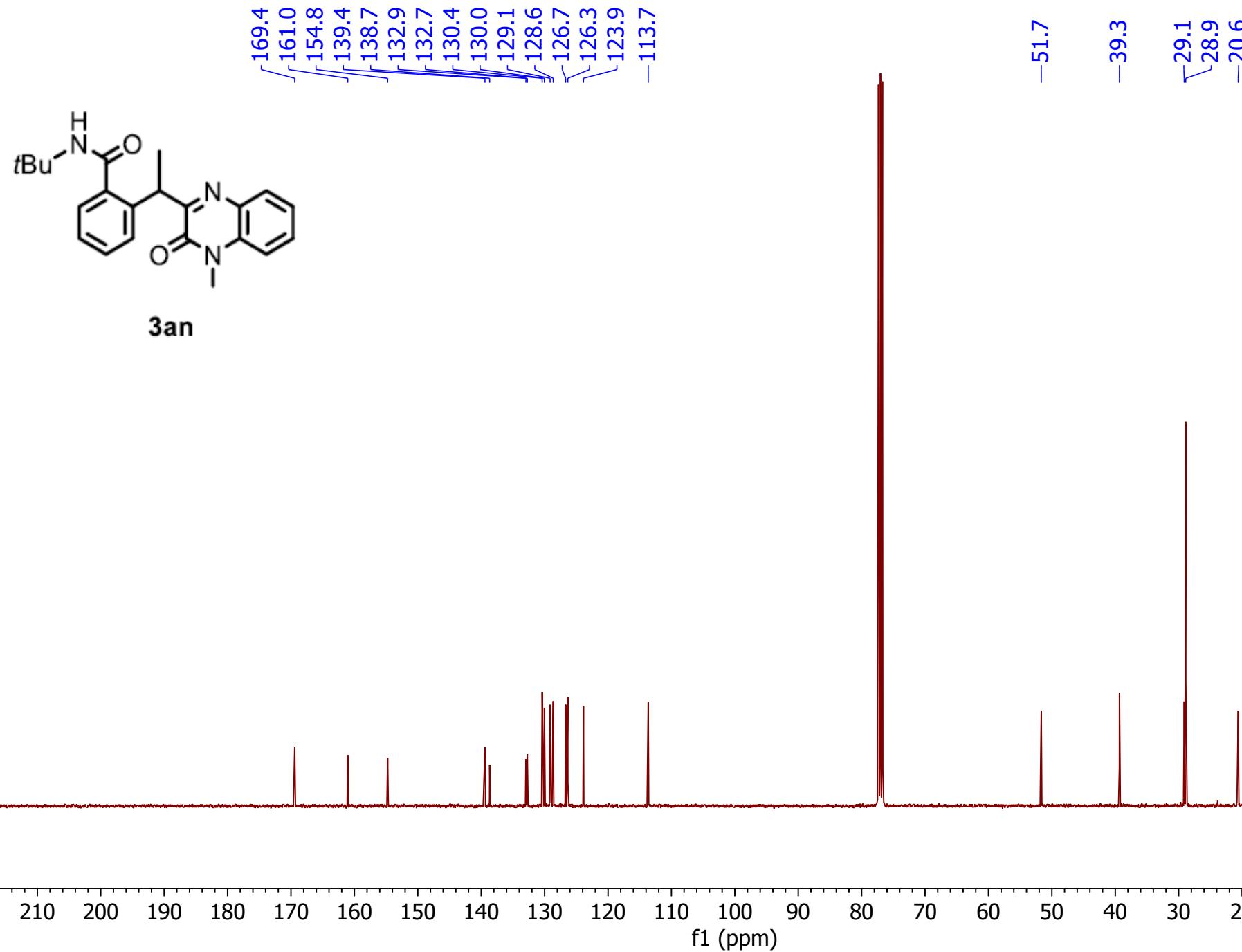
¹³C NMR Spectrum of 3am

1H (CDCl₃, 400 MHz)



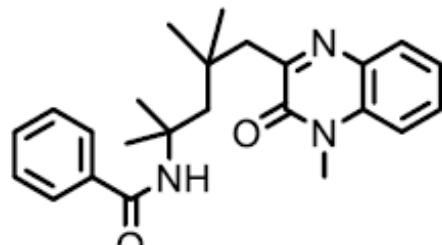
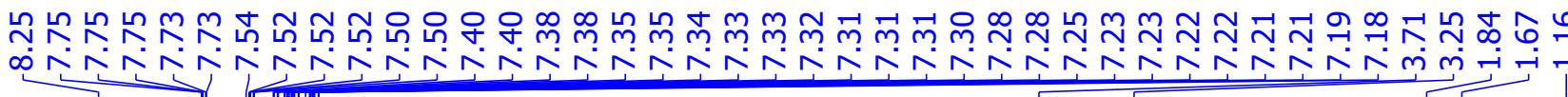
¹H NMR Spectrum of 3an

^{13}C (CDCl₃, 101 MHz)

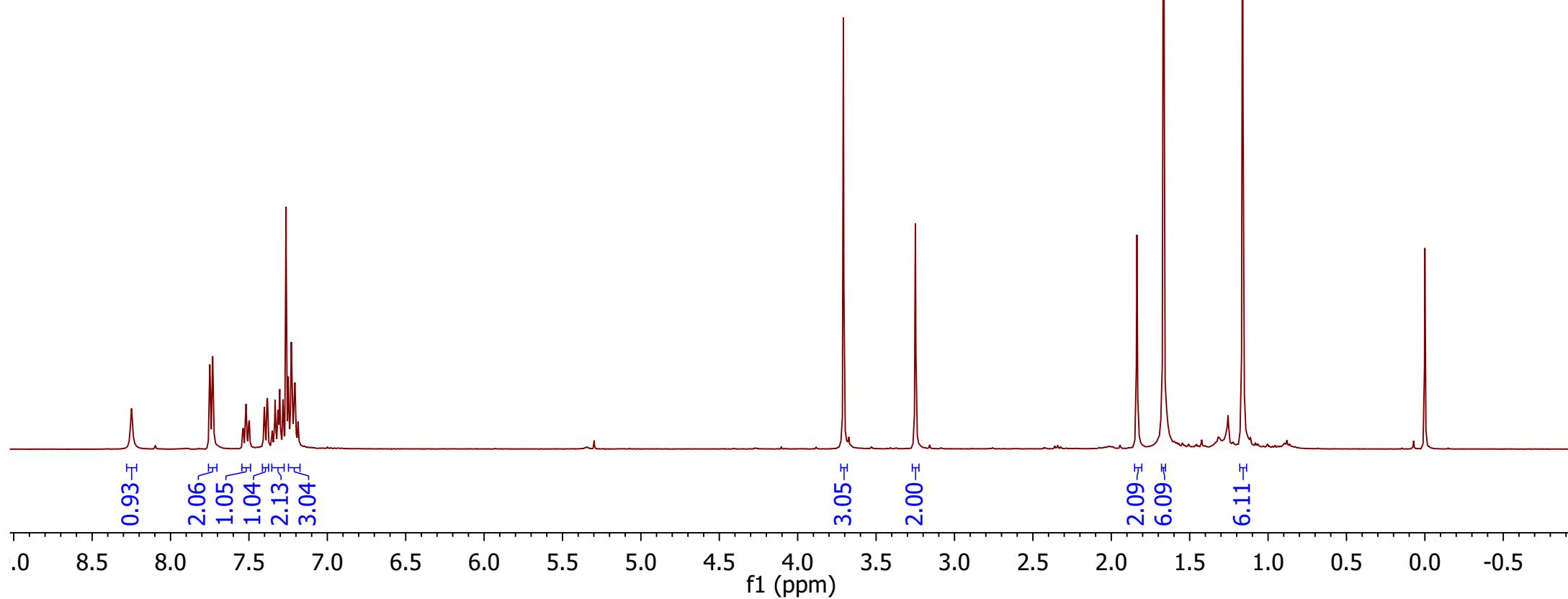


^{13}C NMR Spectrum of 3an

1H (CDCl₃, 400 MHz)

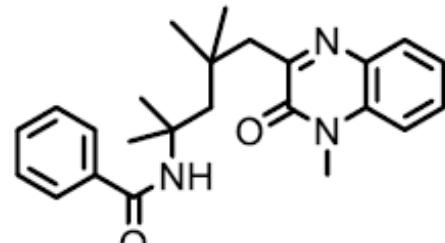


3ao



¹H NMR Spectrum of **3ao**

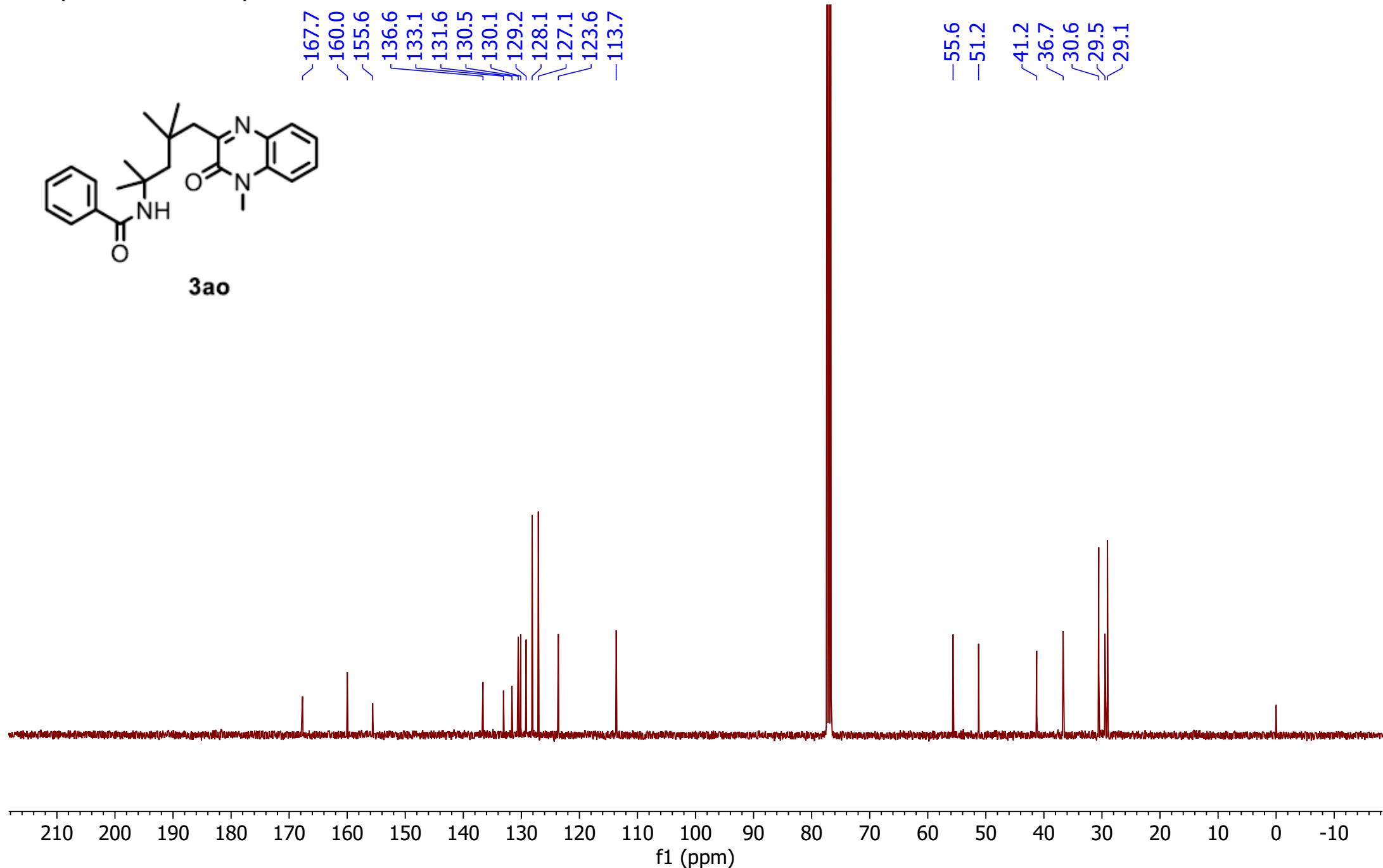
¹³C (CDCl₃, 101 MHz)



3ao

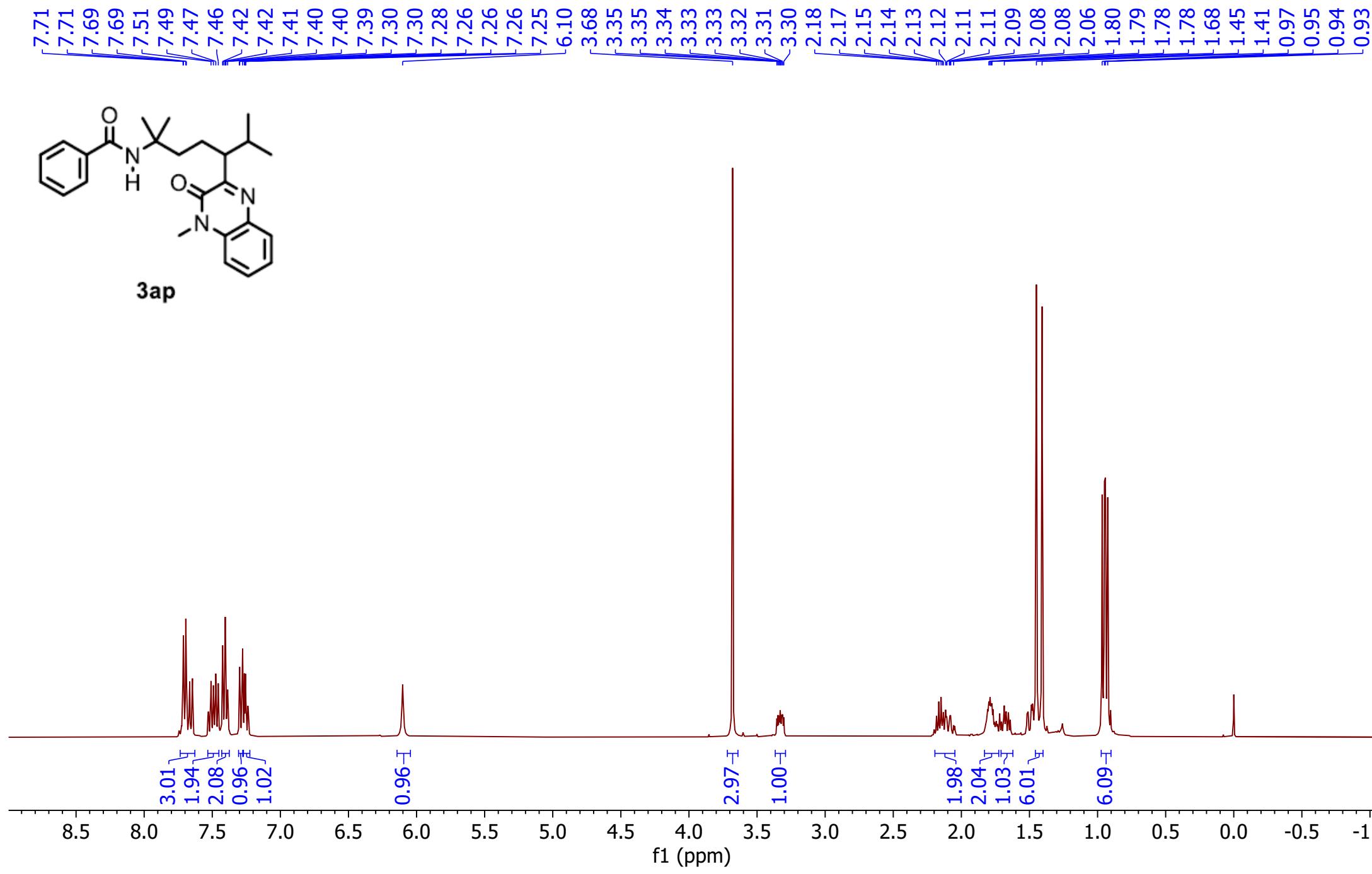
167.7
160.0
155.6
136.6
133.1
131.6
130.5
130.1
129.2
128.1
127.1
123.6
-113.7

-55.6
-51.2
41.2
36.7
30.6
29.5
29.1



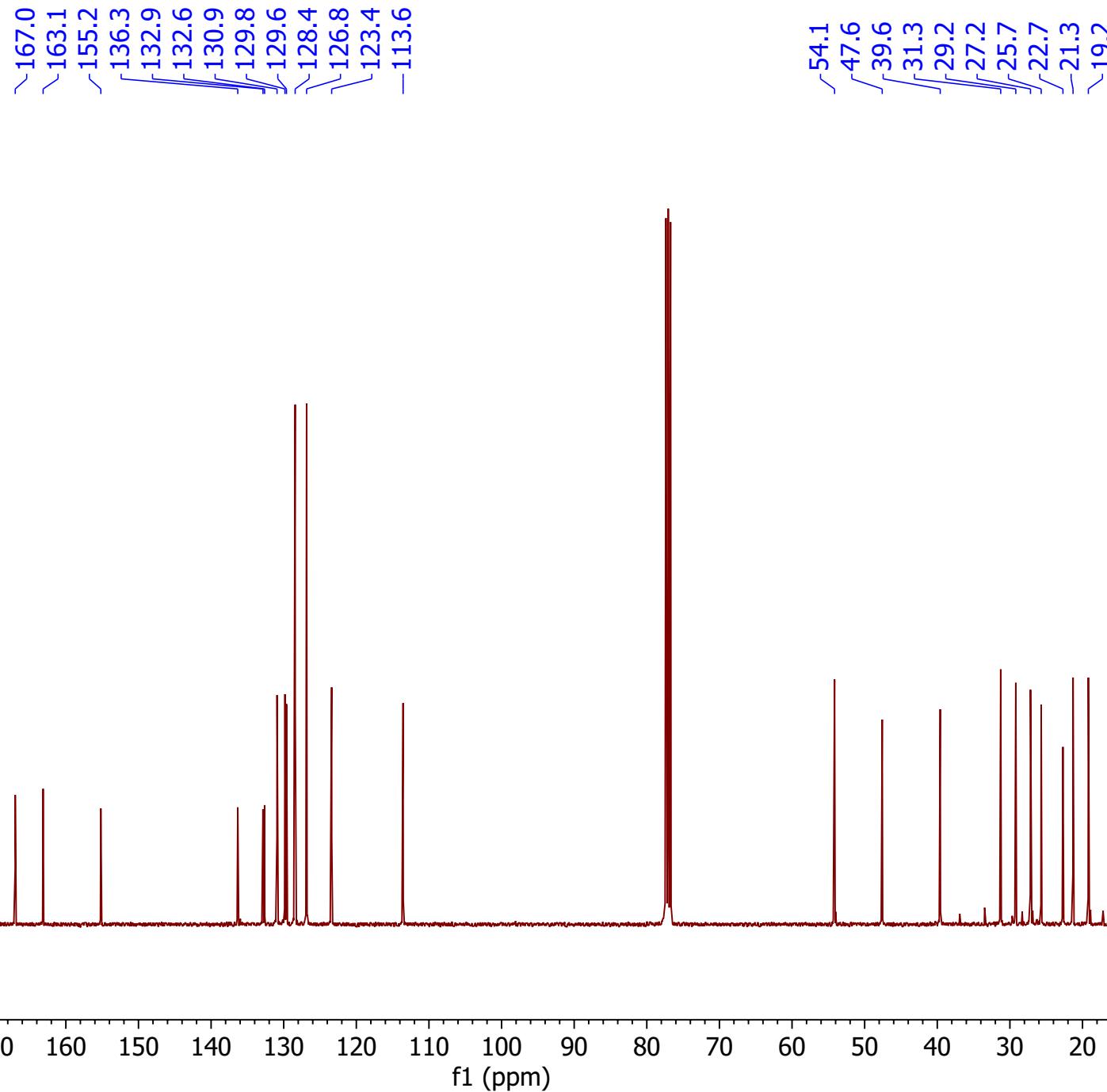
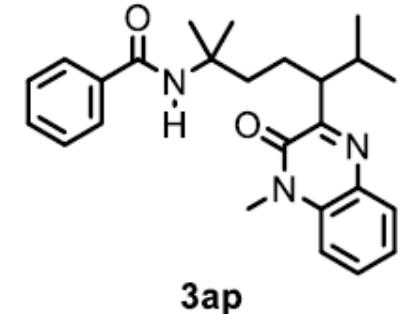
¹³C NMR Spectrum of **3ao**

1H (CDCl₃, 400 MHz)



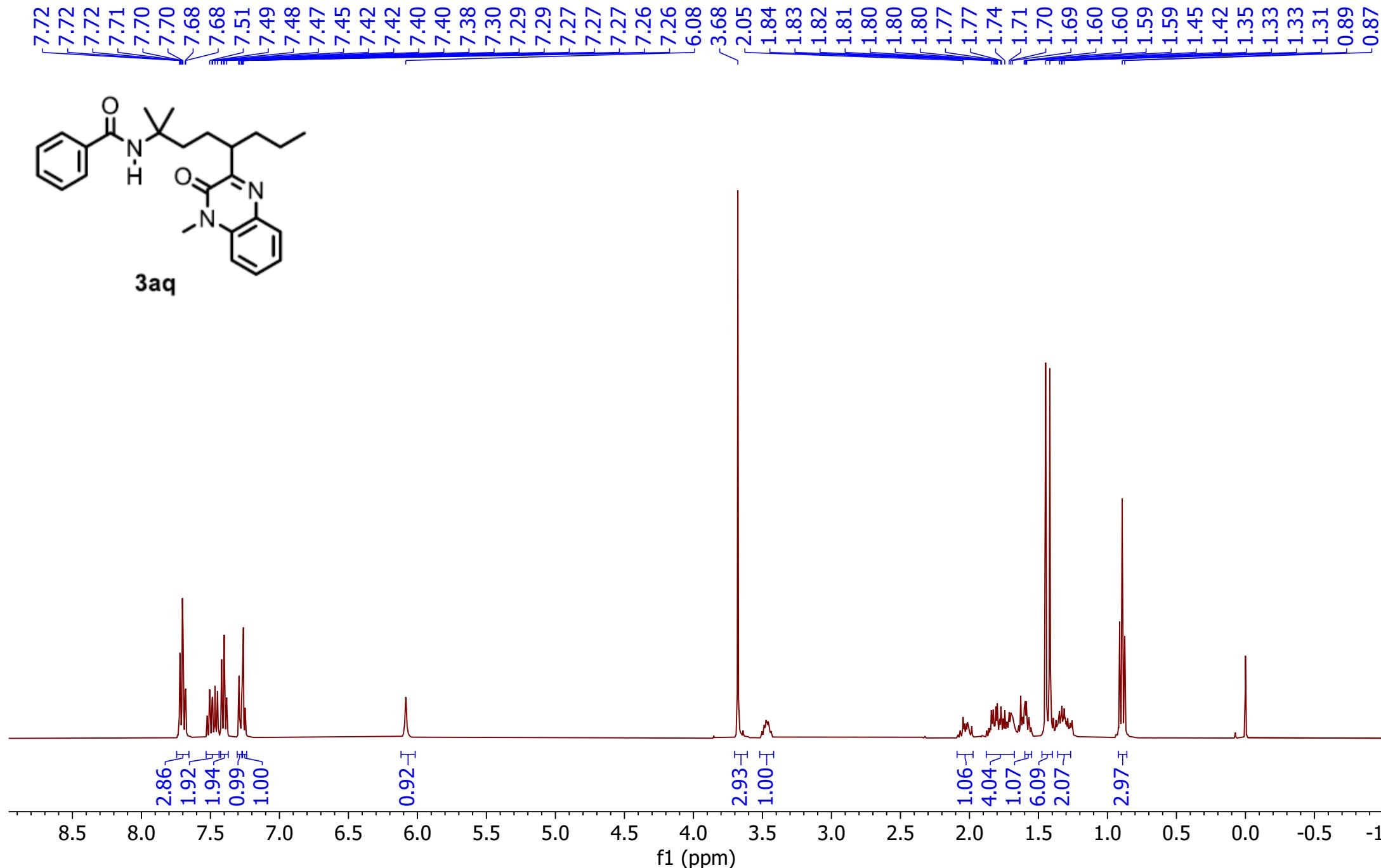
¹H NMR Spectrum of 3ap

¹³C (CDCl₃, 101 MHz)



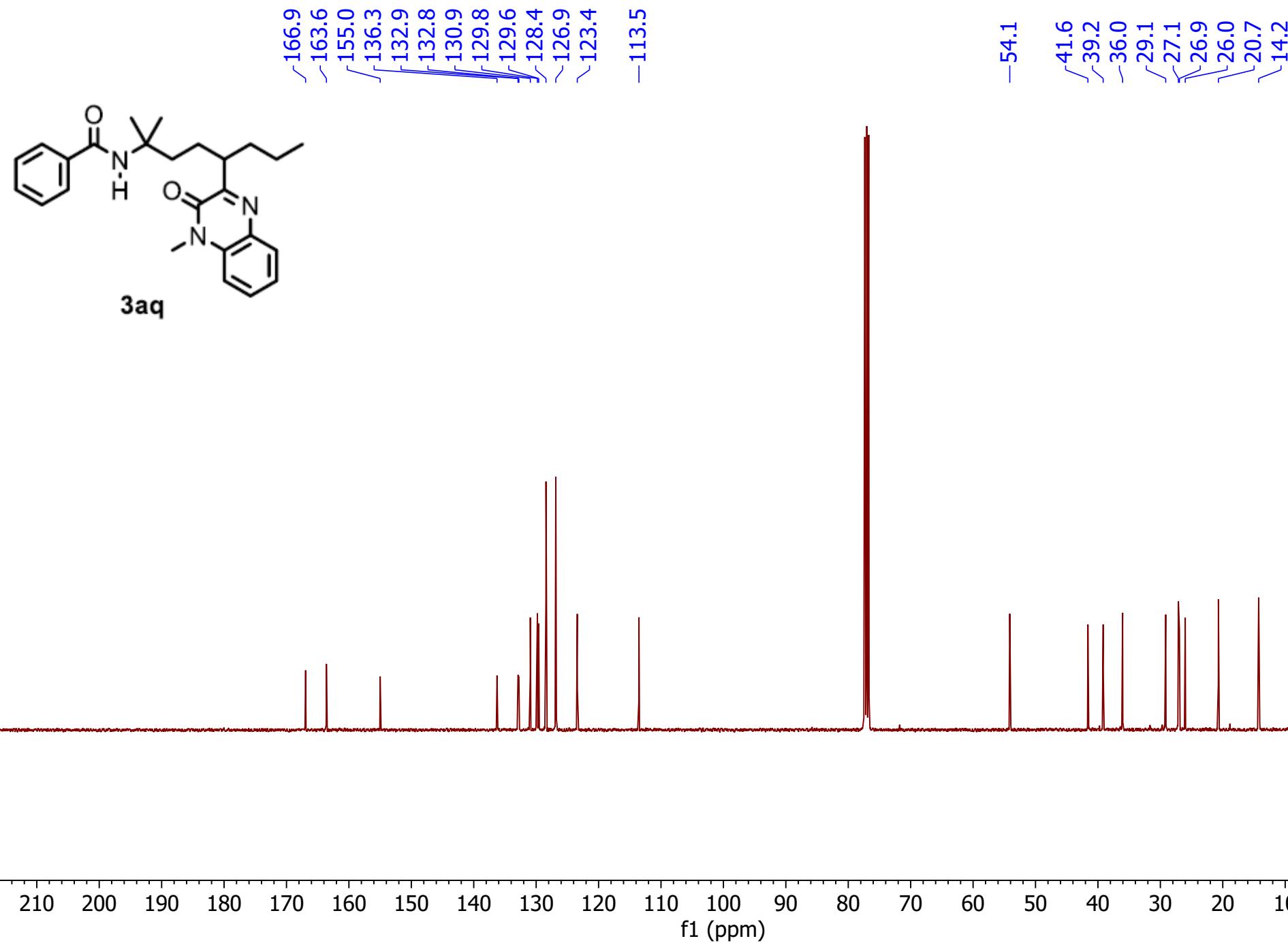
¹³C NMR Spectrum of 3ap

1H (CDCl₃, 400 MHz)



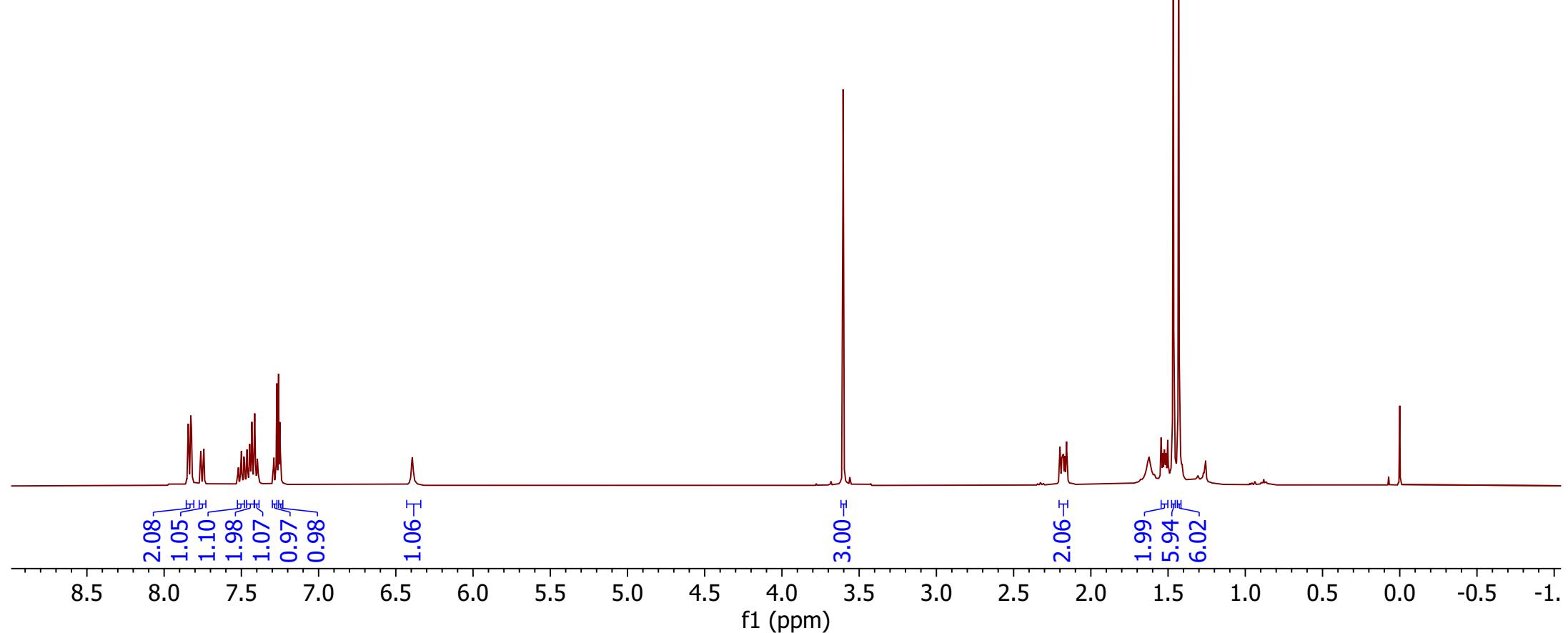
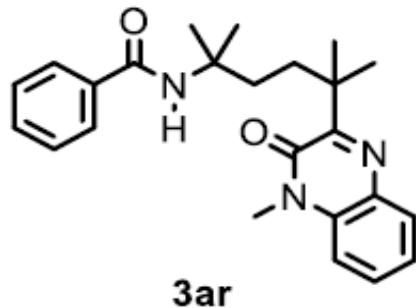
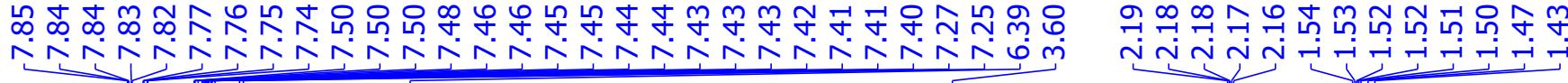
¹H NMR Spectrum of **3aq**

¹³C (CDCl₃, 101 MHz)



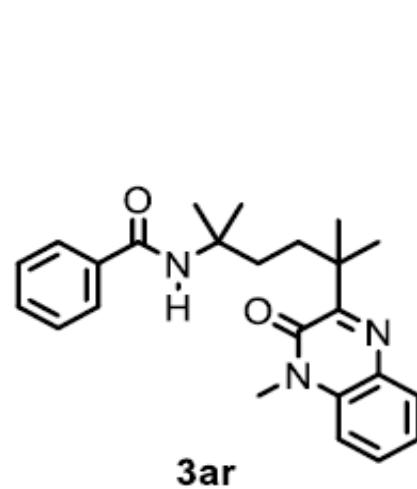
¹³C NMR Spectrum of 3aq

1H (CDCl₃, 400 MHz)



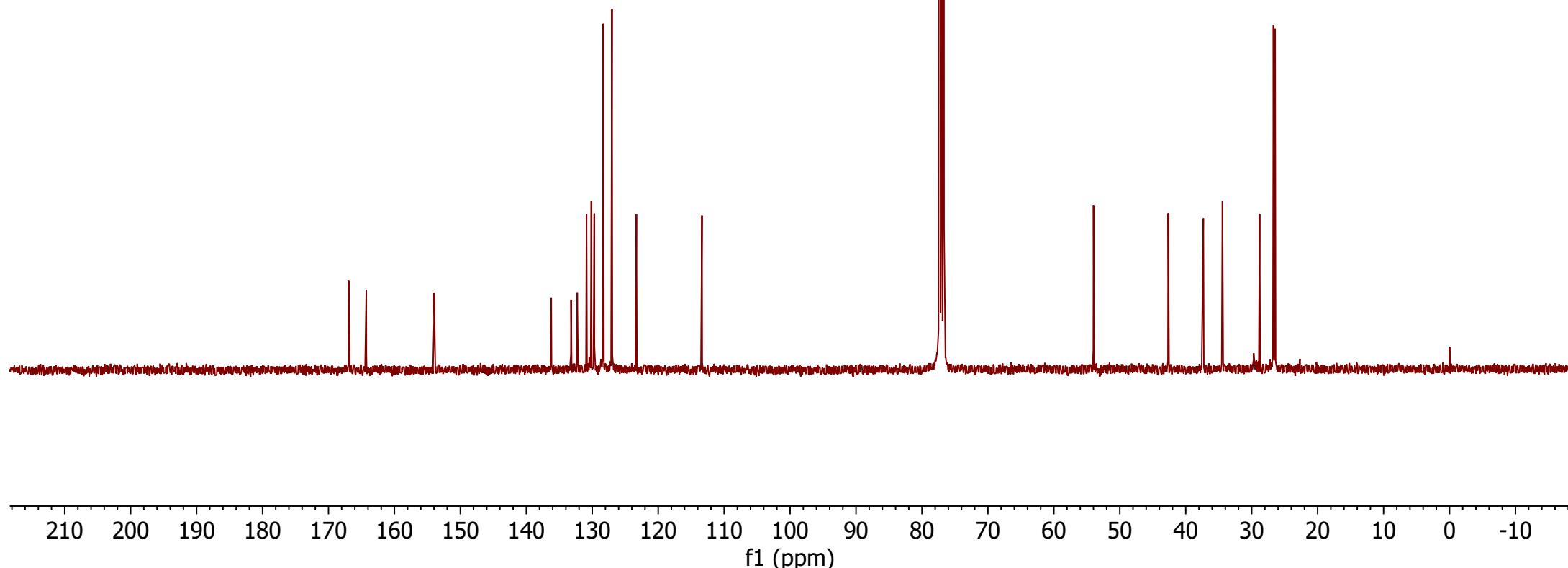
¹H NMR Spectrum of **3ar**

¹³C (CDCl₃, 101 MHz)



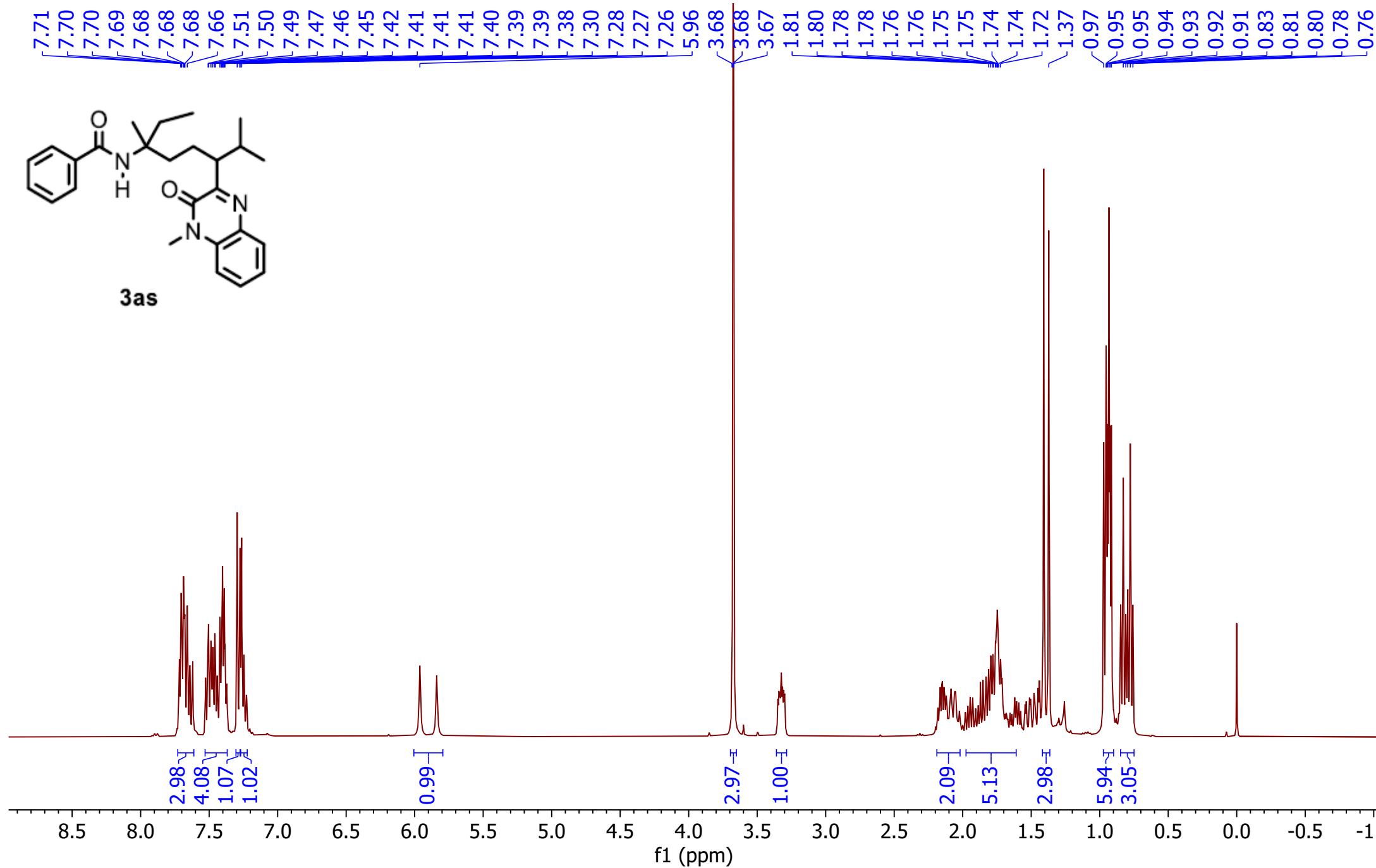
166.9
~164.3
154.0
136.2
133.2
132.3
130.9
130.1
129.7
128.3
127.0
123.3
-113.4

-54.0
42.7
37.3
34.4
28.8
26.7
26.4

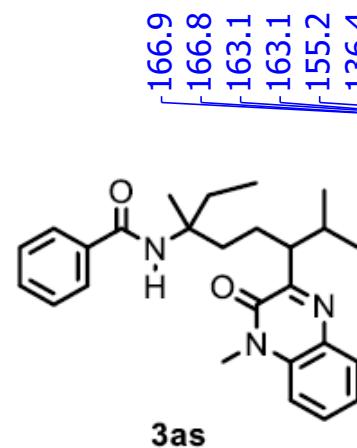


¹³C NMR Spectrum of 3ar

1H (CDCl₃, 400 MHz)



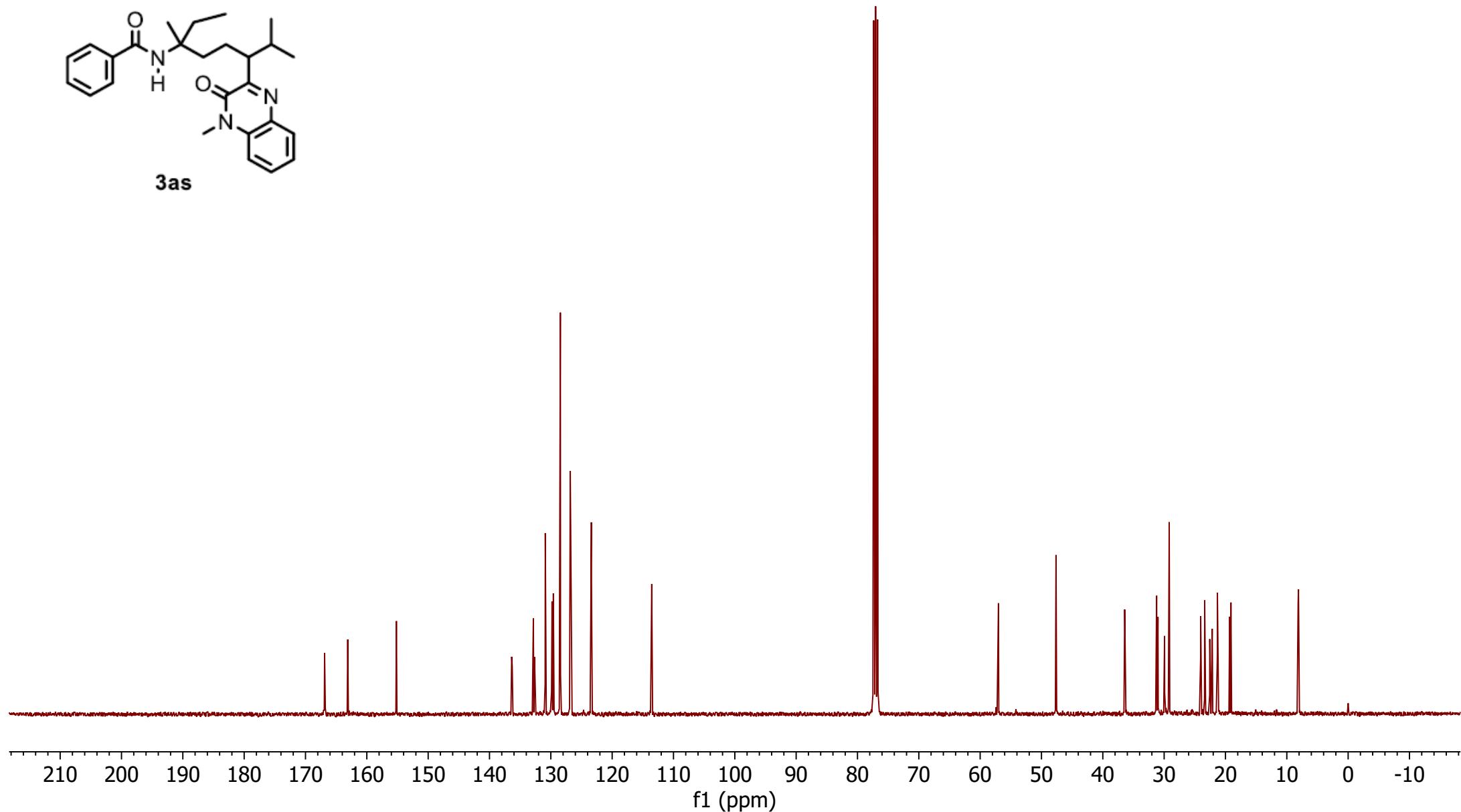
13C (CDCl₃, 101 MHz)



166.9
166.8
163.1
163.1
155.2
136.4
136.3
132.9
132.6
130.9
129.9
129.8
129.6
129.6
128.4
126.8
126.7
123.4
113.5
113.5

57.1
57.0
-47.6

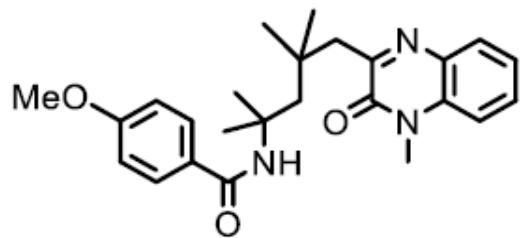
36.4
36.4
31.3
31.2
31.0
29.9
29.2
24.0
23.4
22.2
21.3
21.3
19.3
10.1



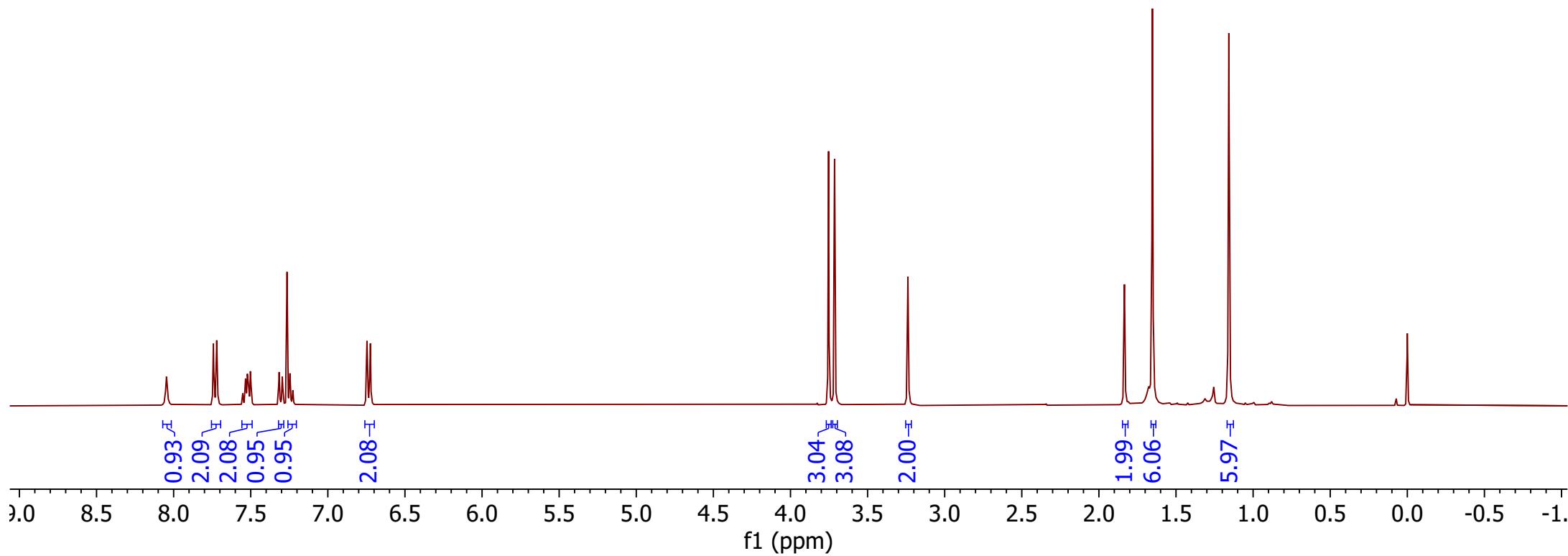
¹³C NMR Spectrum of 3as

1H (CDCl₃, 400 MHz)

8.05
7.74
7.73
7.72
7.53
7.52
7.52
7.50
7.32
7.30
7.32
6.75
6.72

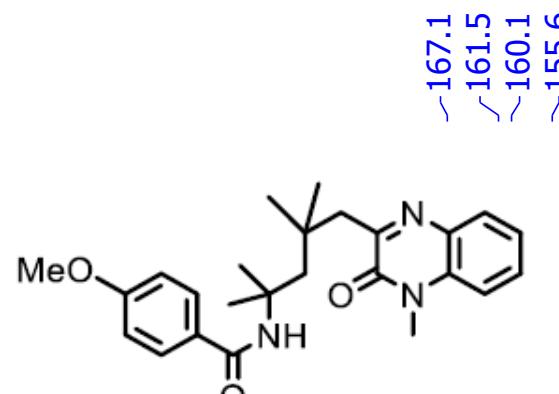


3at



¹H NMR Spectrum of 3at

¹³C (CDCl₃, 101 MHz)



3at

~167.1

~161.5

~160.1

~155.6

133.1

131.7

130.1

129.3

128.9

128.8

123.7

113.7

113.3

55.6

55.3

51.3

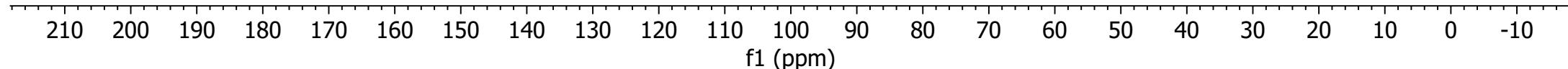
41.5

36.7

30.5

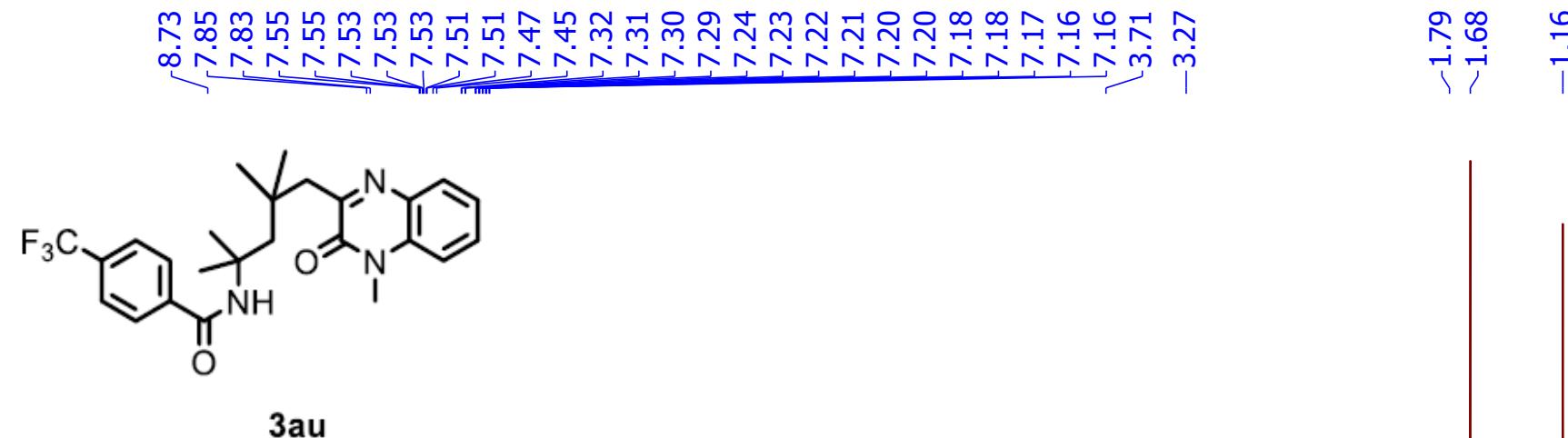
29.5

29.2



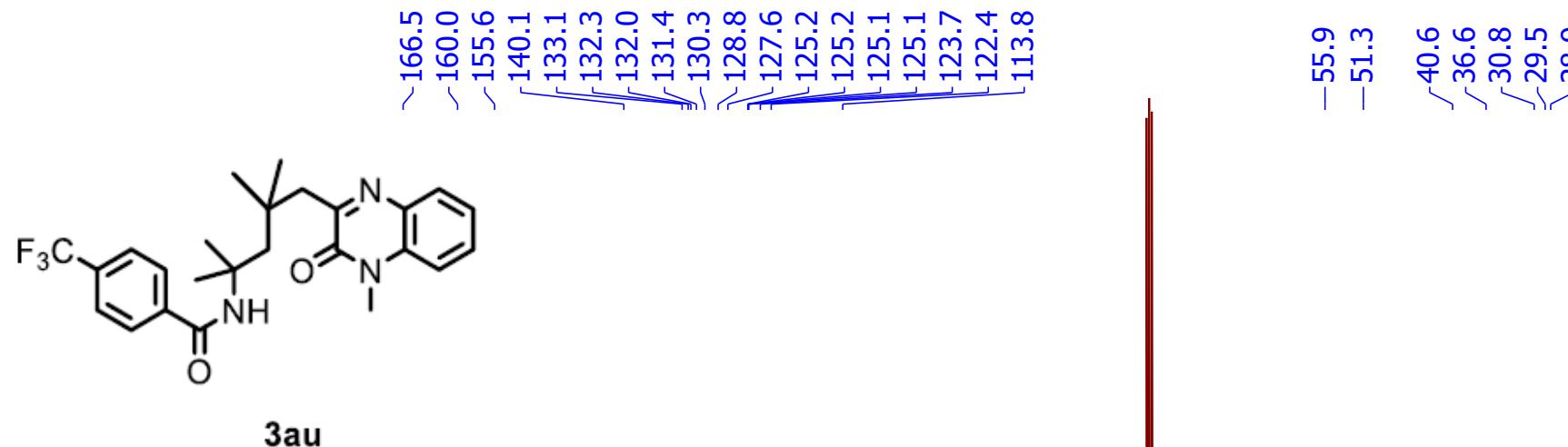
¹³C NMR Spectrum of 3at

¹H (CDCl₃, 400 MHz)



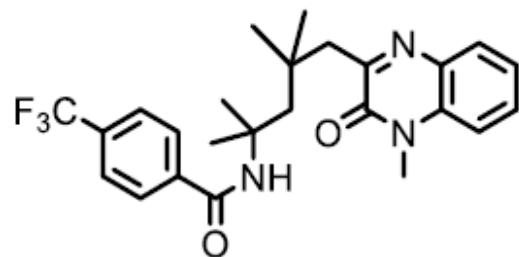
¹H NMR Spectrum of **3au**

¹³C (CDCl₃, 101 MHz)



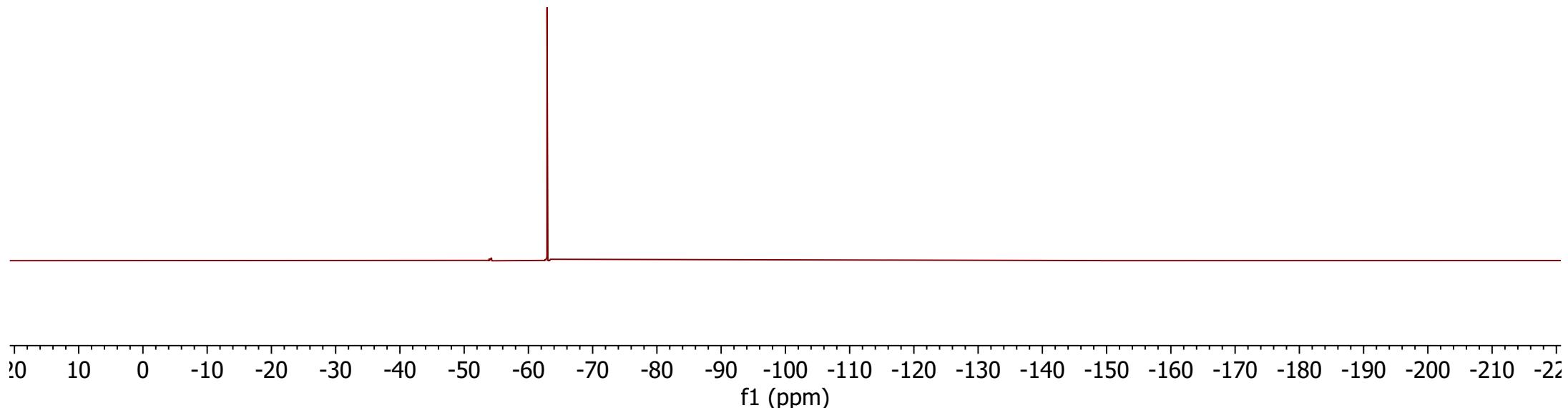
¹³C NMR Spectrum of **3au**

¹⁹F (CDCl₃, 376 MHz)



3au

-62.9



¹⁹F NMR Spectrum of **3au**

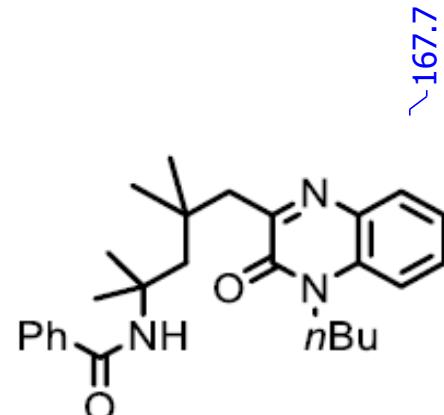
1H (CDCl₃, 400 MHz)



3co

¹H NMR Spectrum of **3co**

¹³C (CDCl₃, 101 MHz)



3co

Peak labels (ppm):

- ~167.7
- ~160.0
- ~155.3
- ~136.7
- [132.3]
- [132.0]
- [130.5]
- ~130.0
- ~129.4
- ~128.2
- ~127.1
- ~123.4
- 113.7

~55.7

~51.3

~42.4

~41.3

~36.7

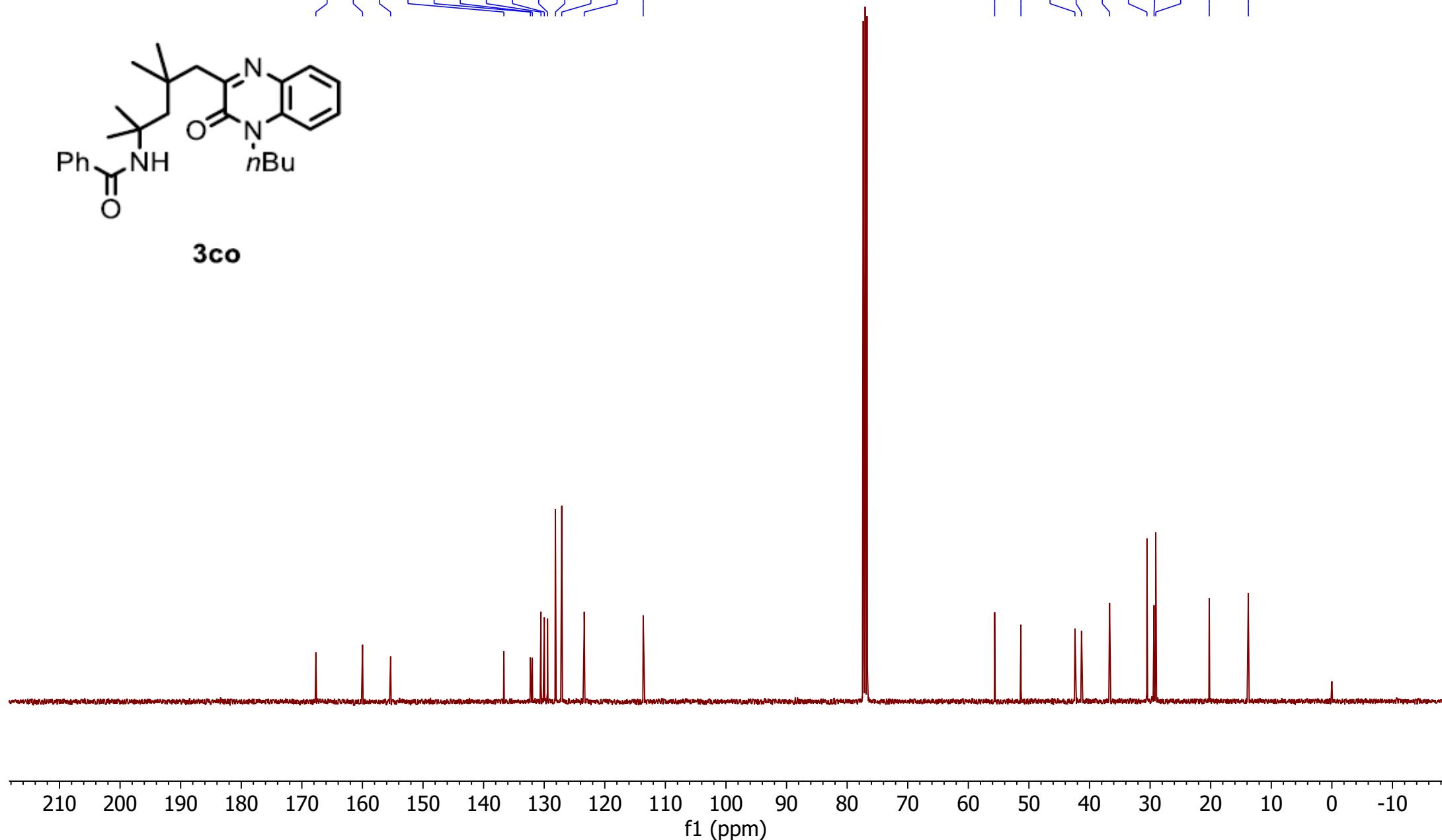
~30.5

~29.3

~29.1

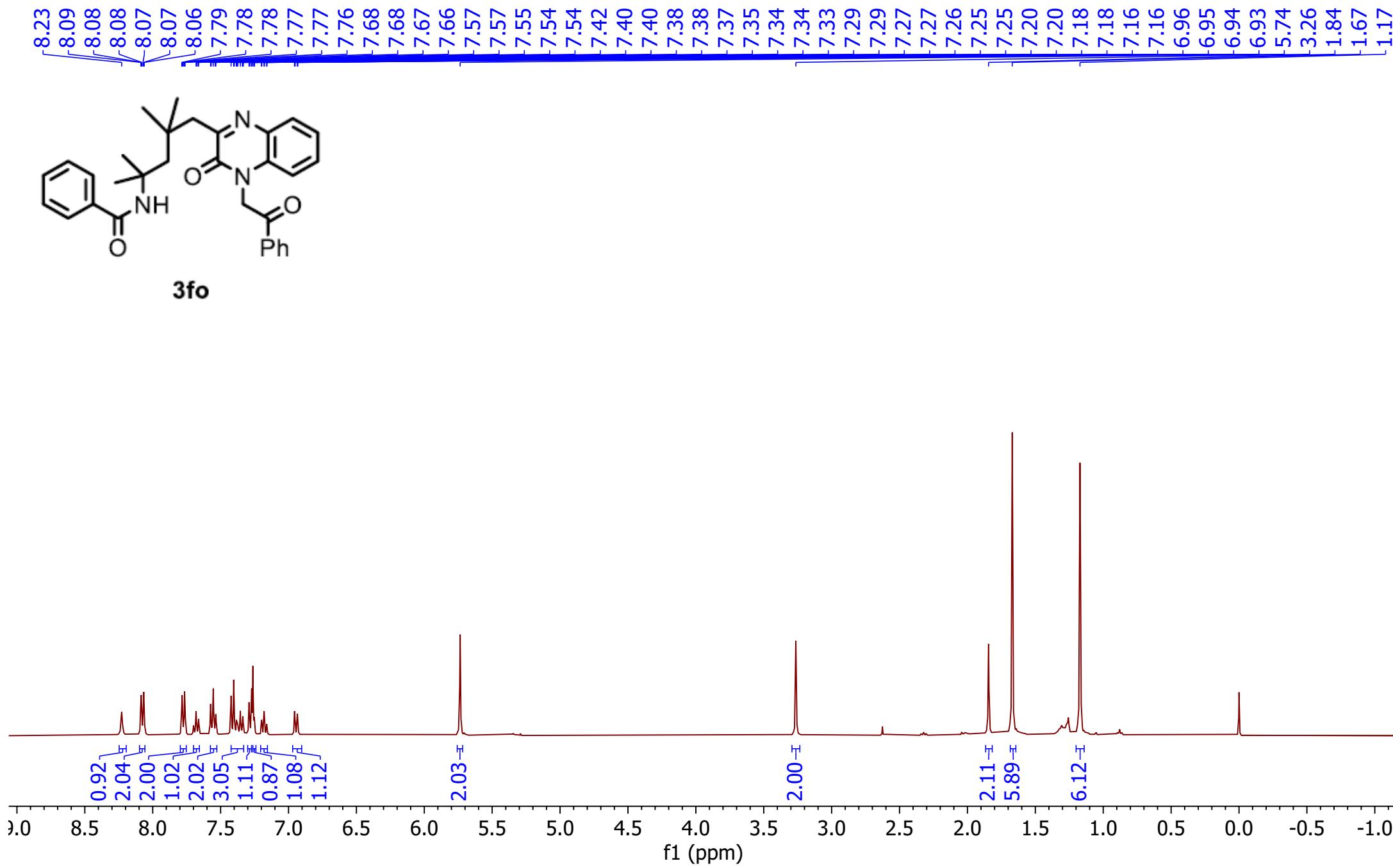
-20.2

-13.8



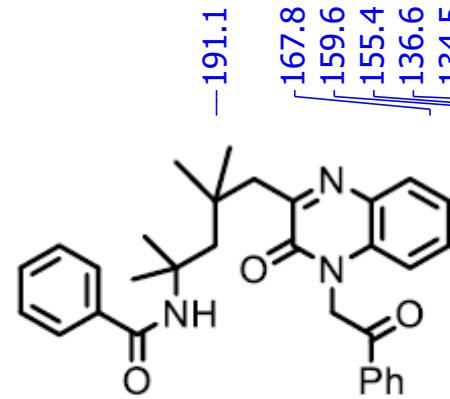
¹³C NMR Spectrum of **3co**

1H (CDCl₃, 400 MHz)

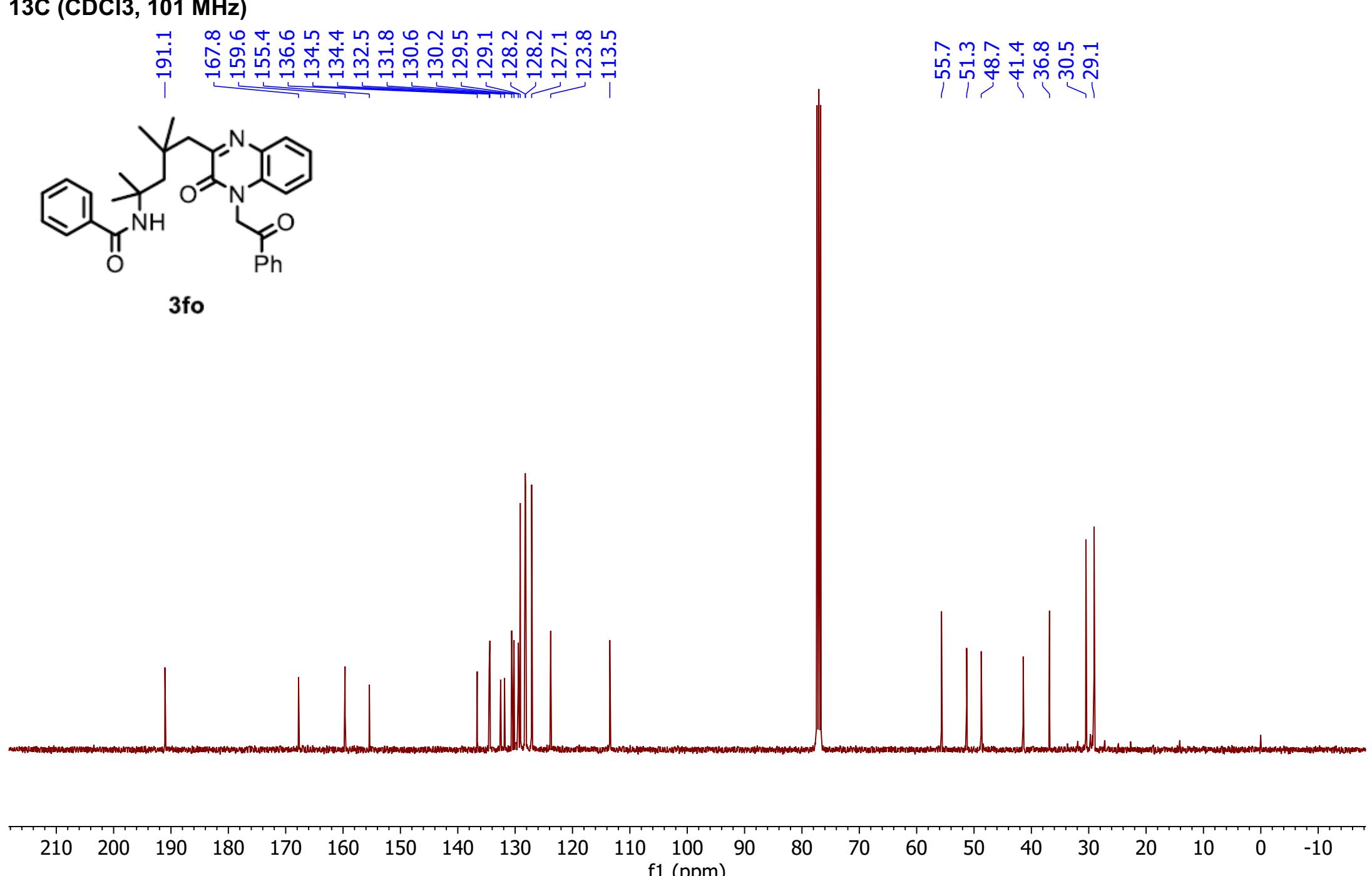


¹H NMR Spectrum of **3fo**

¹³C (CDCl₃, 101 MHz)

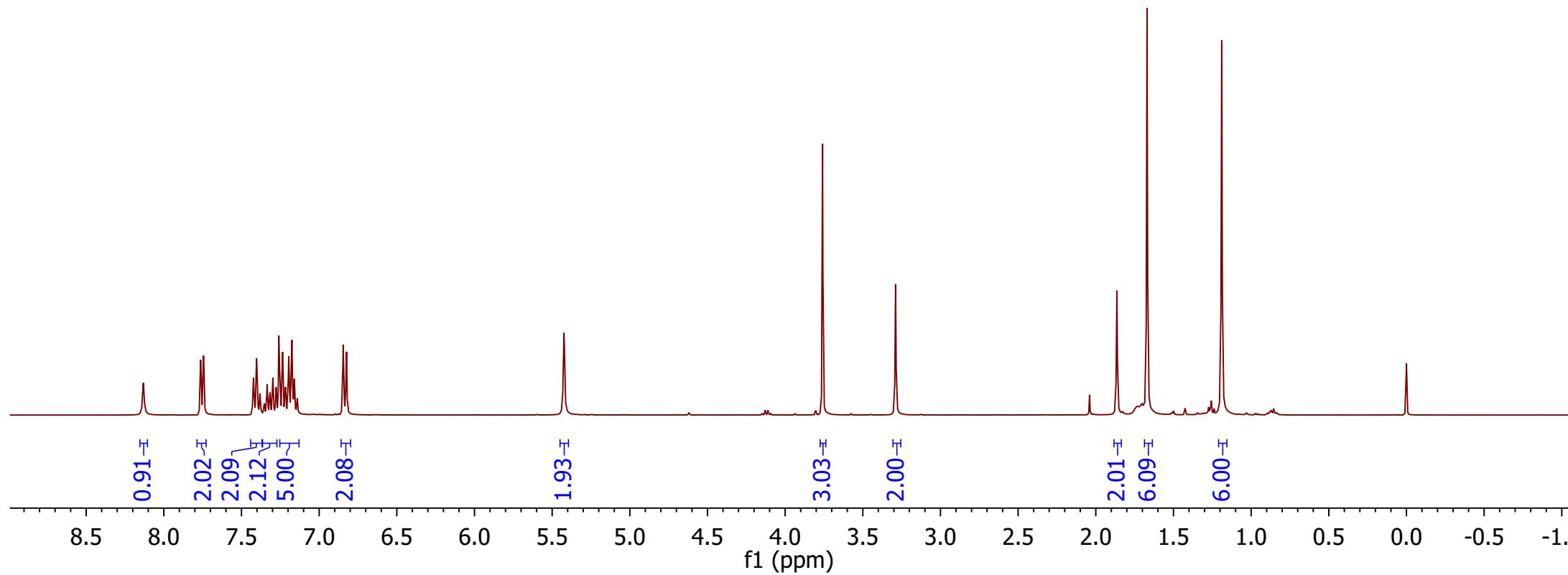
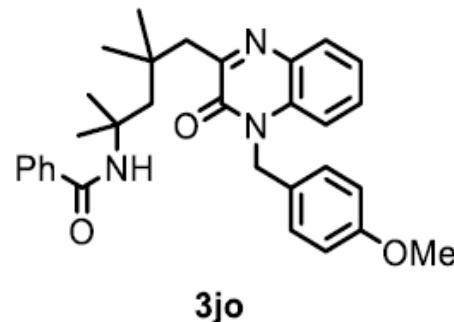
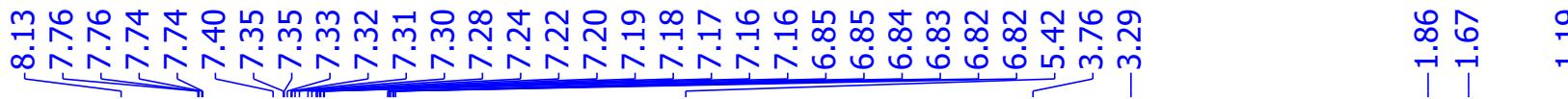


3fo



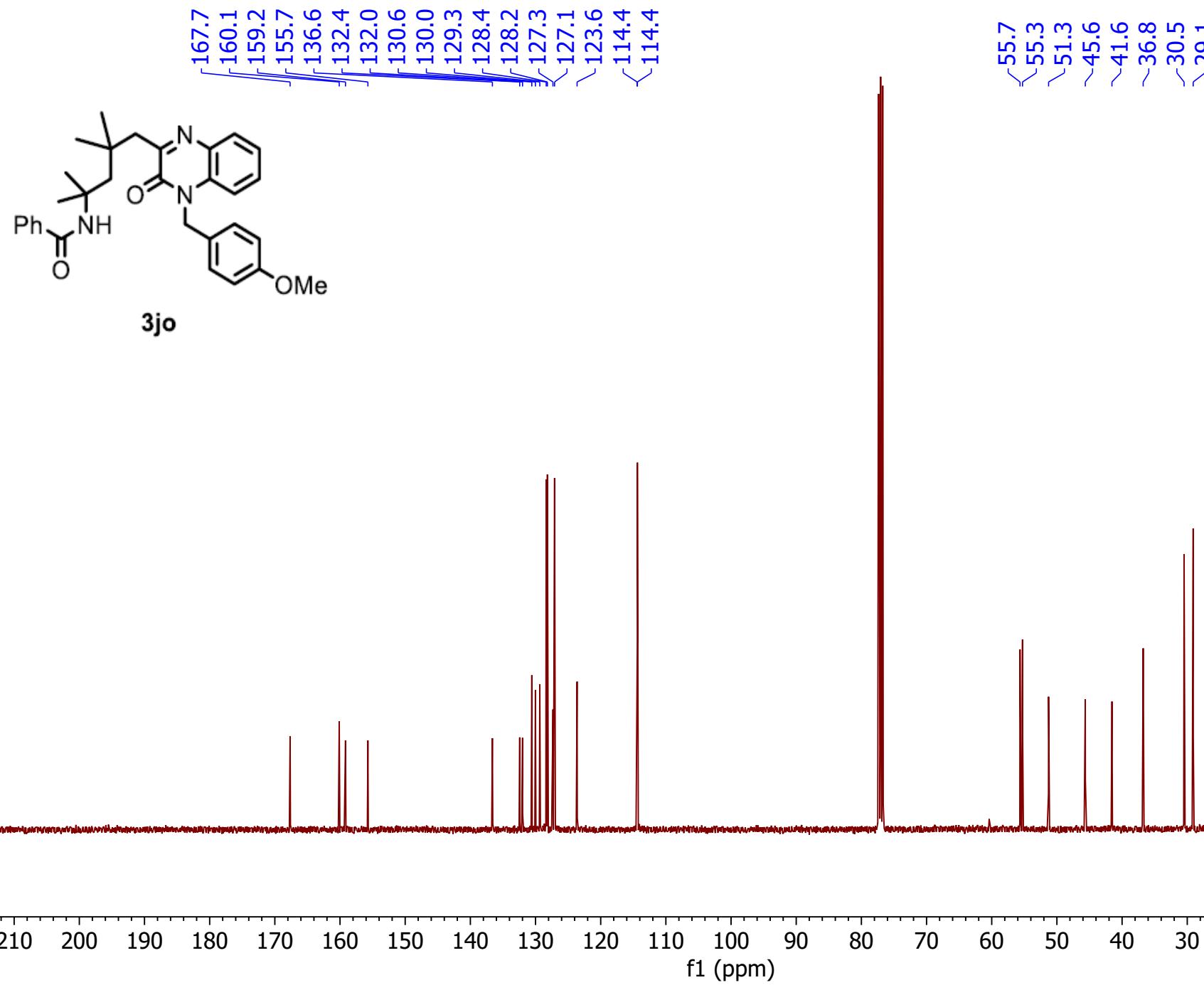
¹³C NMR Spectrum of **3fo**

1H (CDCl₃, 400 MHz)



¹H NMR Spectrum of **3jo**

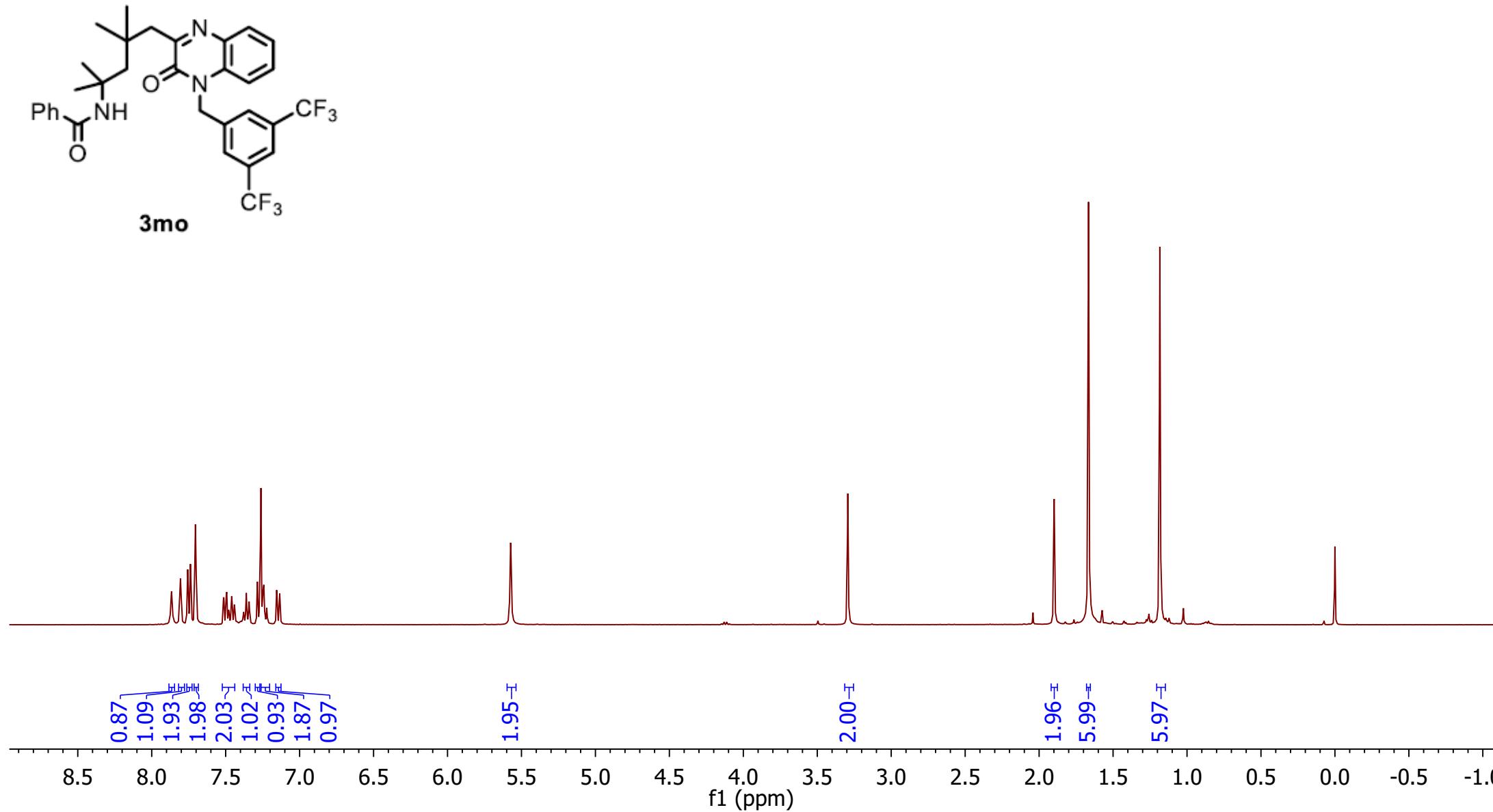
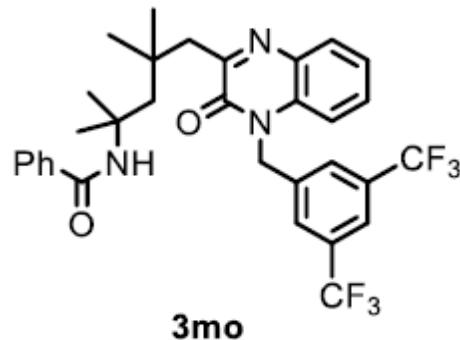
¹³C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of 3jo

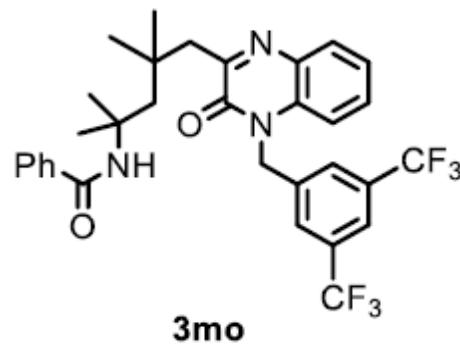
¹H (CDCl₃, 400 MHz)

7.87
7.81
7.76
7.74
7.71
7.70
7.50
7.49
7.36
7.34
7.29
7.25
7.24
7.22
7.21
7.16
7.13
-5.57



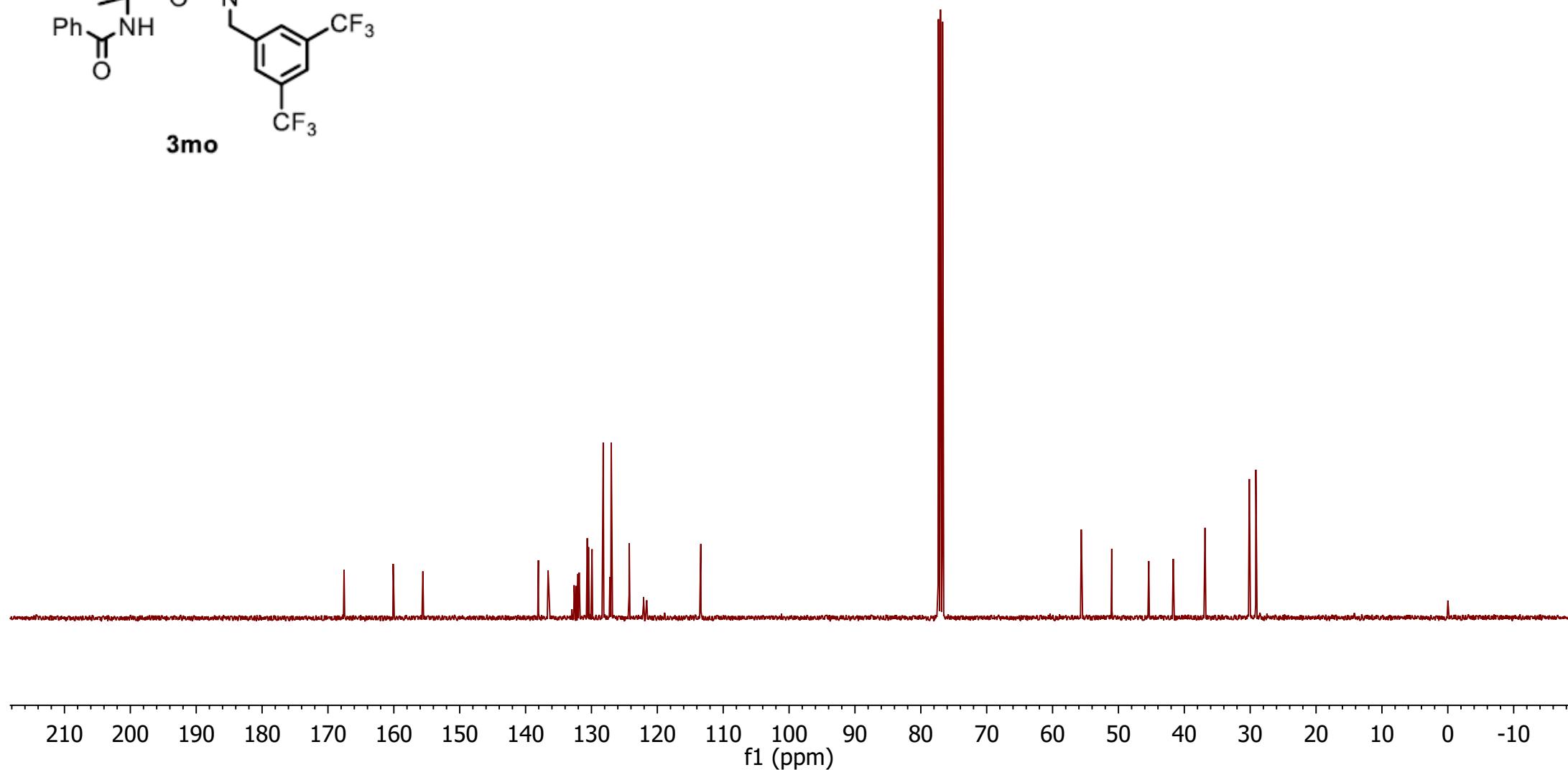
¹H NMR Spectrum of **3mo**

13C(CDCI3, 101 MHz)



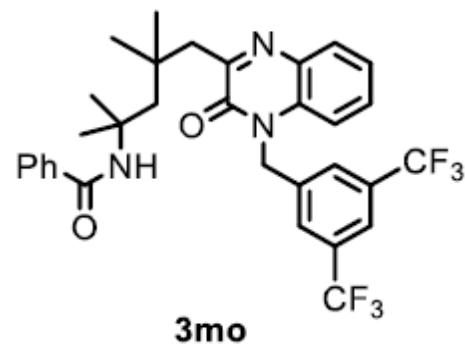
167.6
160.1
155.6
138.1
136.6
132.6
132.3
132.1
131.9
130.6
130.4
129.9
128.2
127.2
127.2
127.0
124.3
124.3
122.1
122.1
121.6
113.4

-55.6
-51.0
-45.4
-41.7
-36.9
-30.1
-29.1

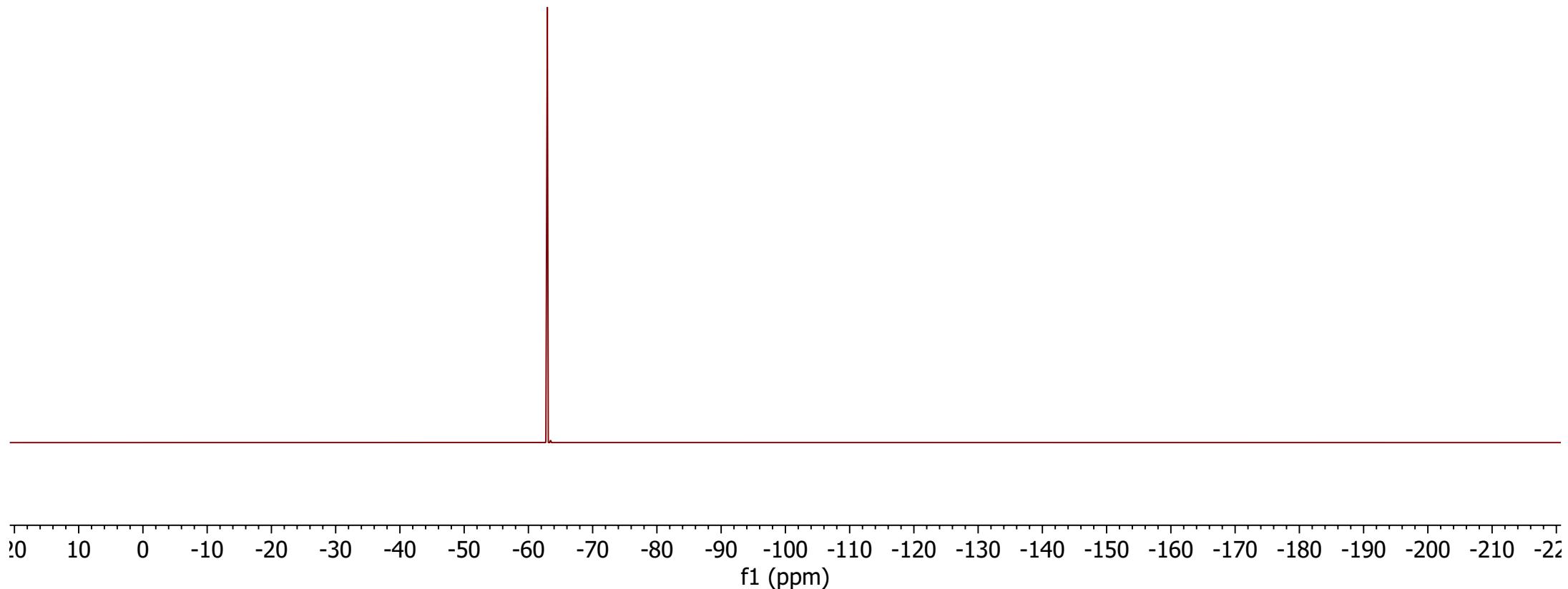


¹³C NMR Spectrum of **3mo**

¹⁹F (CDCl₃, 376 MHz)



-63.0



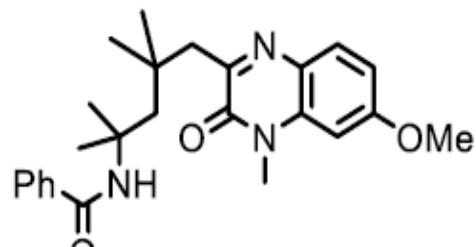
¹⁹F NMR Spectrum of **3mo**

¹H (CDCl₃, 400 MHz)

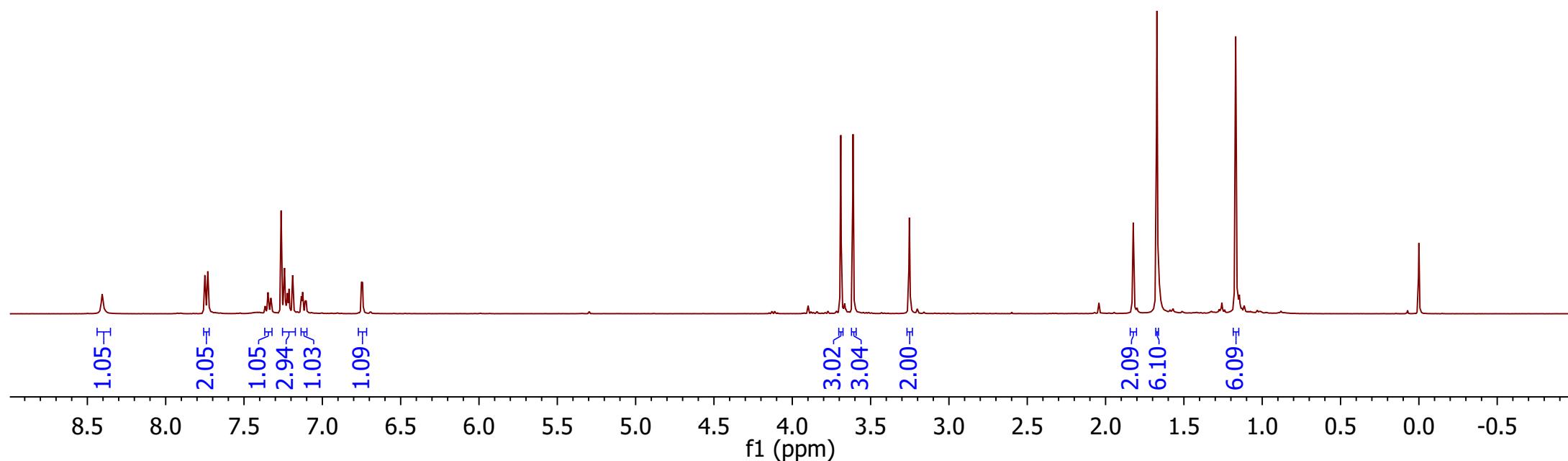
-8.41
7.75
7.75
7.73
7.73
7.37
7.35
7.33
7.33
7.24
7.24
7.22
7.21
7.19
7.13
7.13
7.11
7.10
6.75
6.74

3.69
3.61
3.25

-1.82
-1.67
-1.17

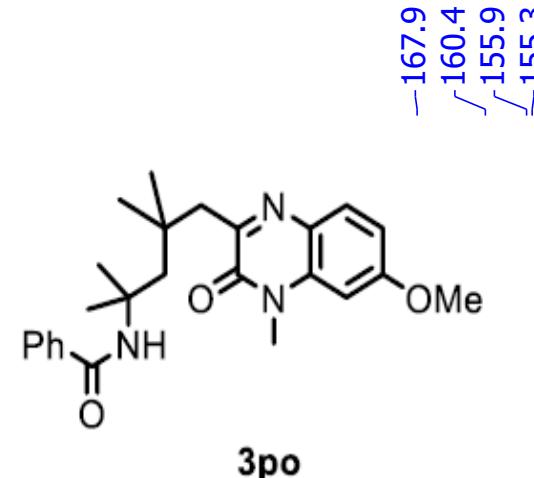


3po



¹H NMR Spectrum of 3po

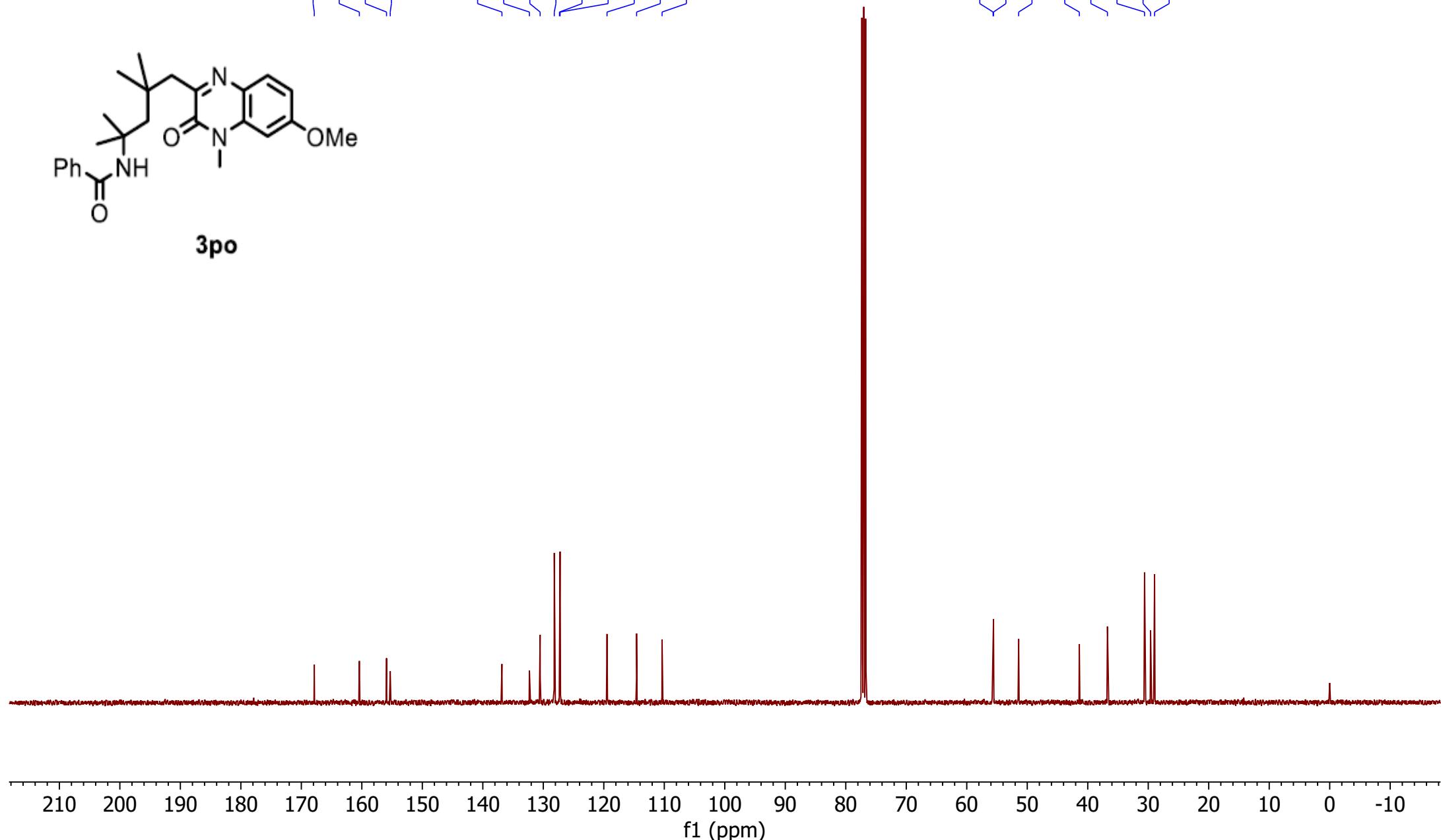
¹³C (CDCl₃, 101 MHz)



-167.9
160.4
155.9
155.3

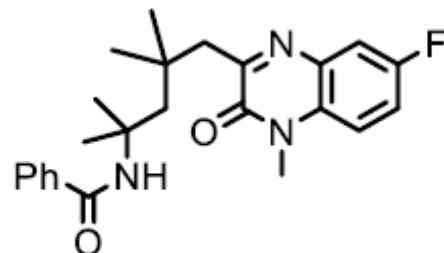
136.8
132.3
130.5
128.2
127.3
127.2
119.5
114.6
110.4

55.6
55.6
51.4
41.4
36.7
30.6
29.6
29.0

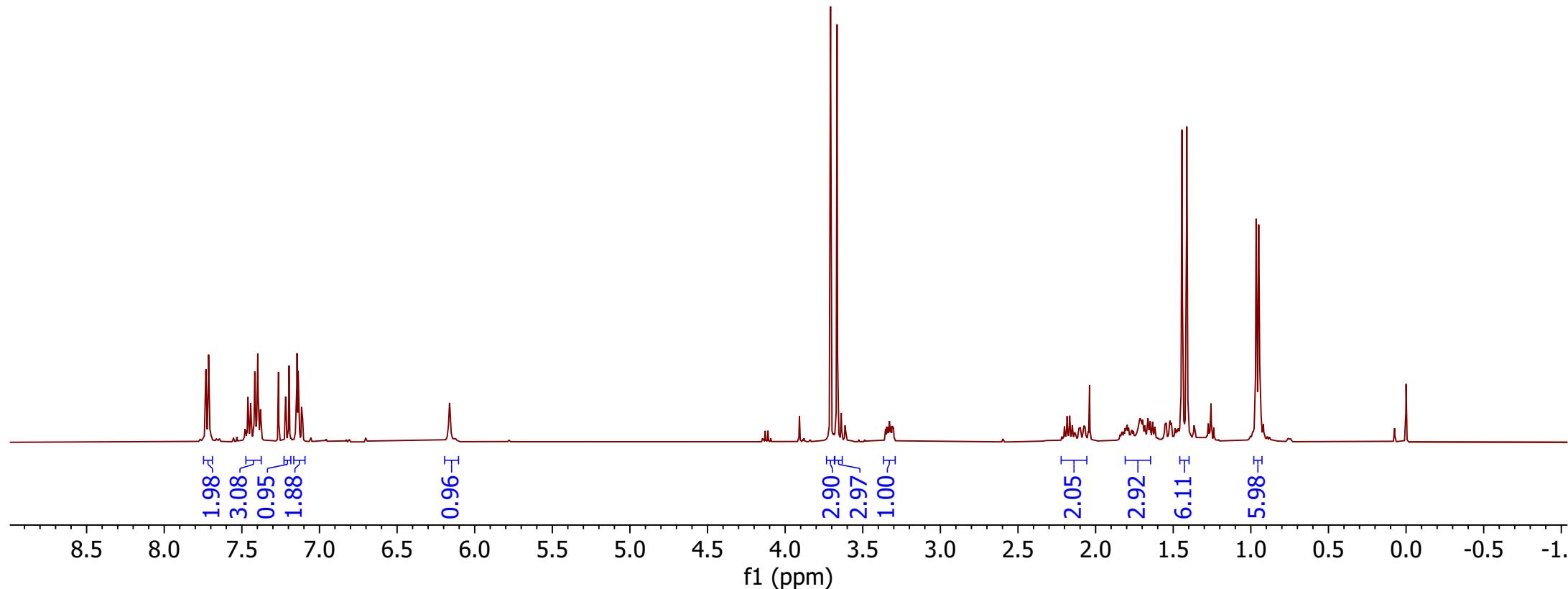


¹³C NMR Spectrum of **3po**

1H (CDCl₃, 400 MHz)

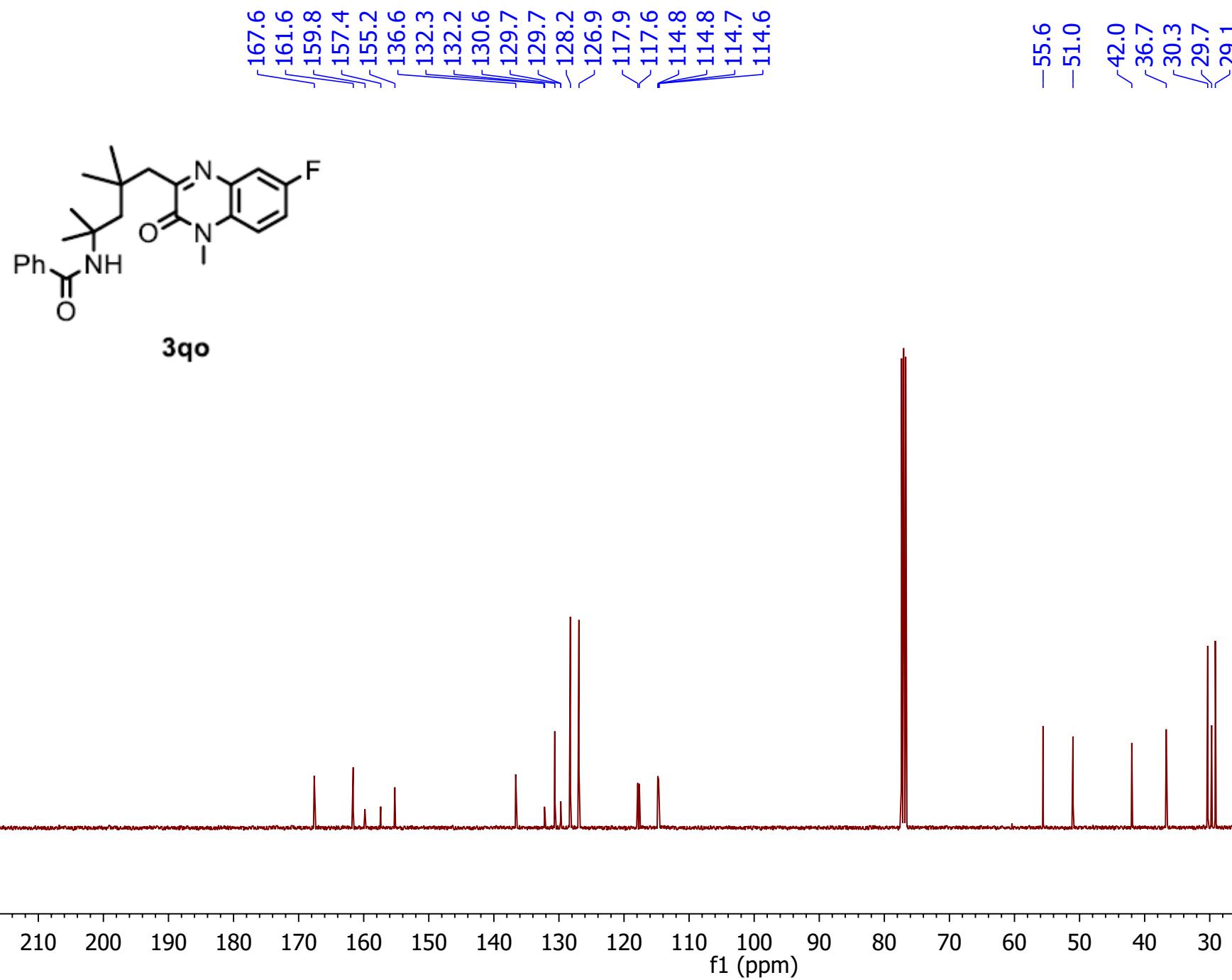


3qo



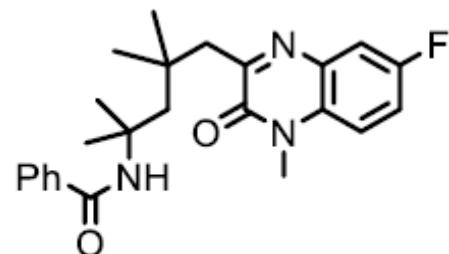
¹H NMR Spectrum of 3qo

¹³C(CDCI₃, 101 MHz)



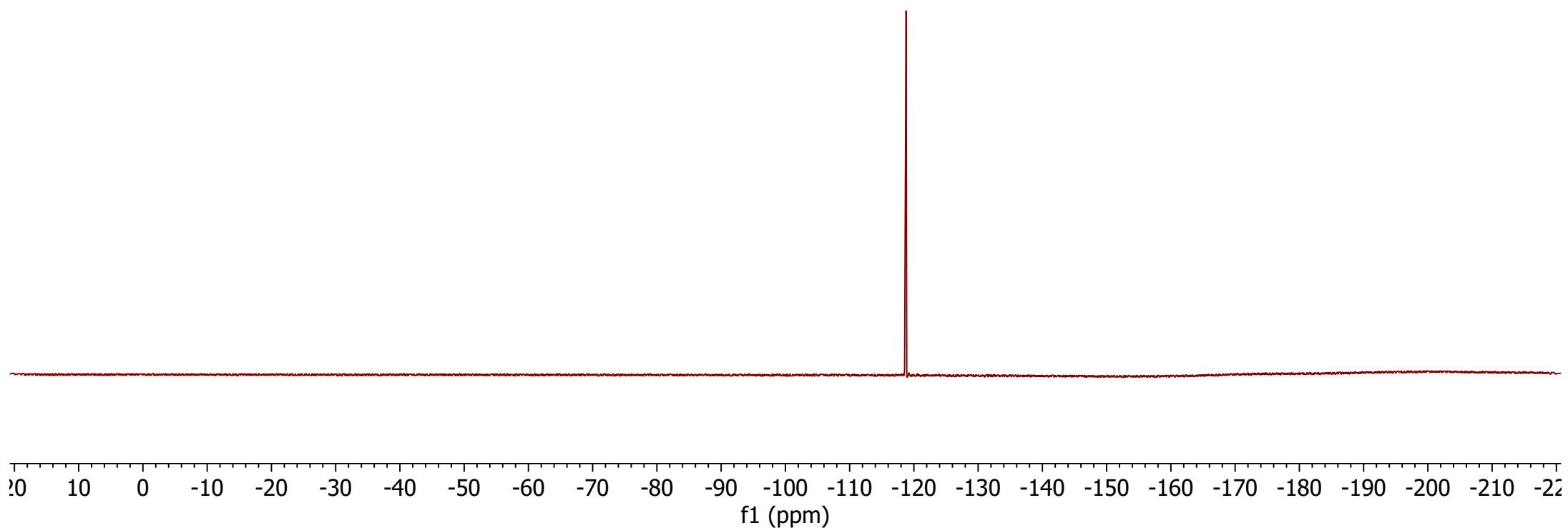
¹³C NMR Spectrum of **3qo**

¹⁹F (CDCl₃, 376 MHz)



3qo

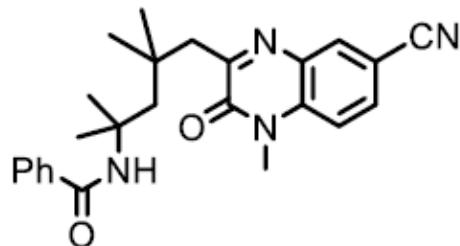
--118.8



¹⁹F NMR Spectrum of 3qo

¹H (CDCl₃, 400 MHz)

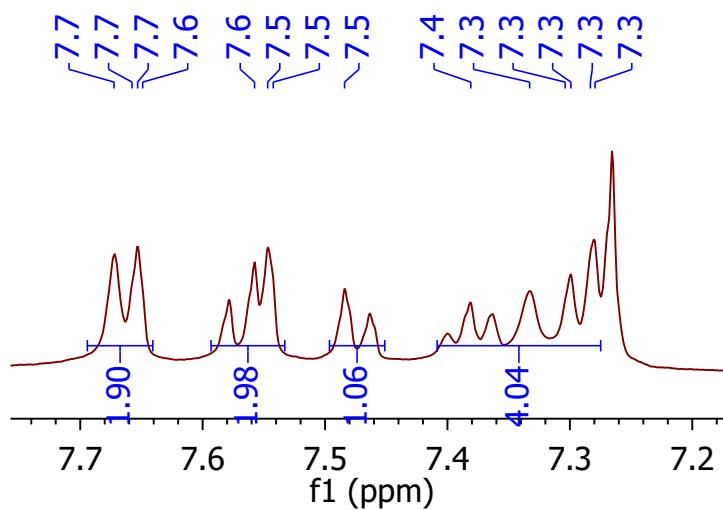
7.7
7.7
7.6
7.5
7.5
7.4
7.3
7.3
7.3
7.3



3vo

7.7
7.7
7.6
7.6
7.5
7.5
7.5
7.5
7.5

7.4
7.3
7.3
7.3
7.3
7.3
7.3



1.90
1.98
1.06
4.04

-3.7

-3.2

-2.0

-1.6

-1.2

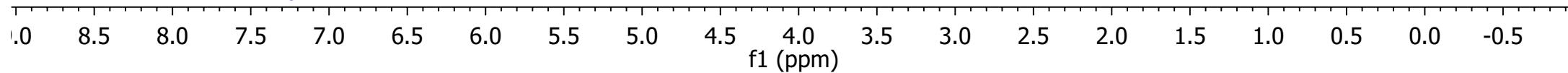
3.14

2.00

2.03

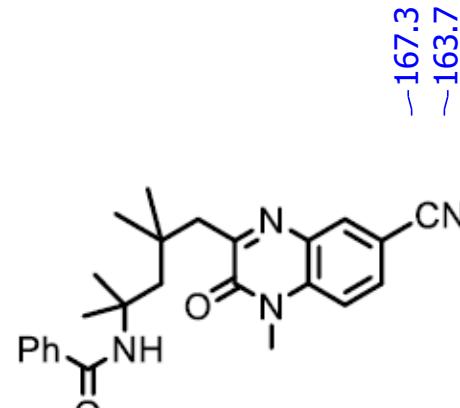
6.11

5.87



¹H NMR Spectrum of **3vo**

¹³C (CDCl₃, 101 MHz)



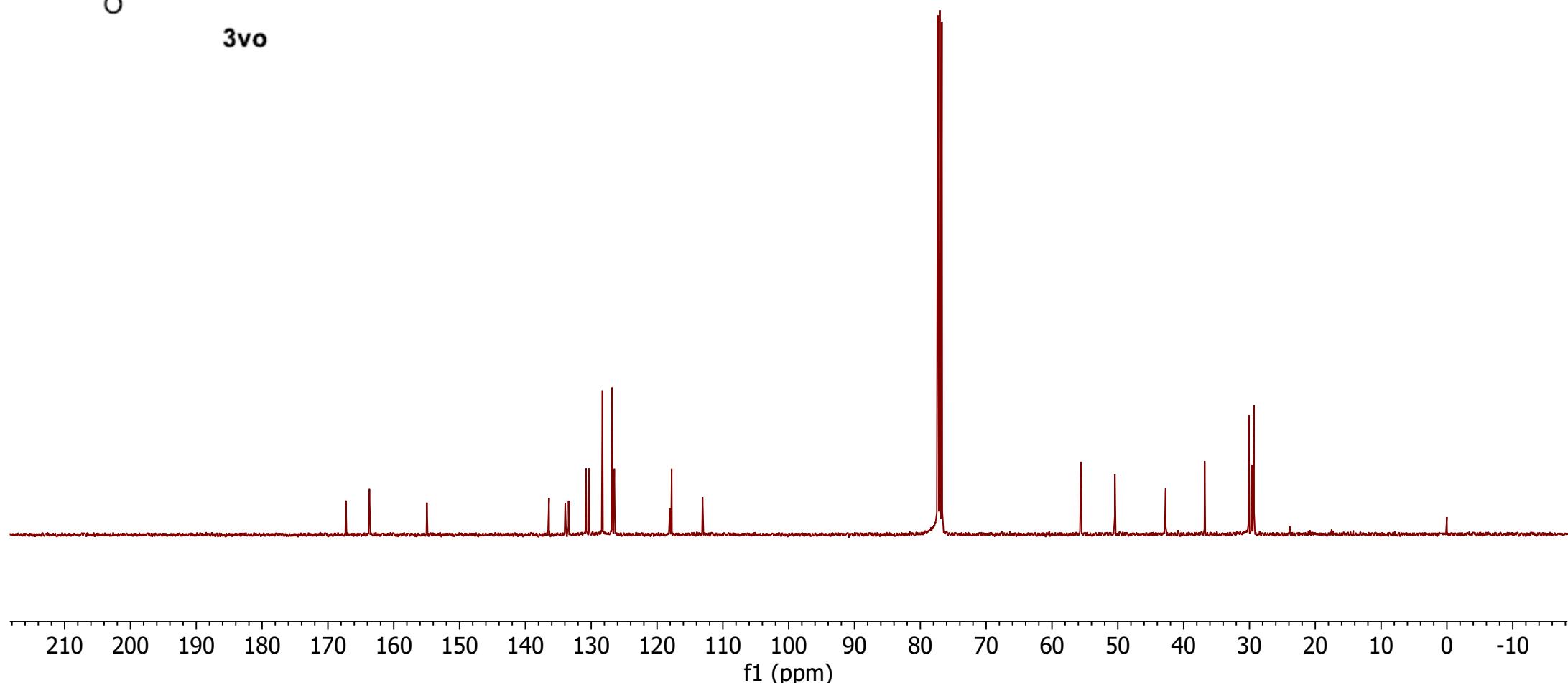
3vo

—167.3
—163.7

—155.0

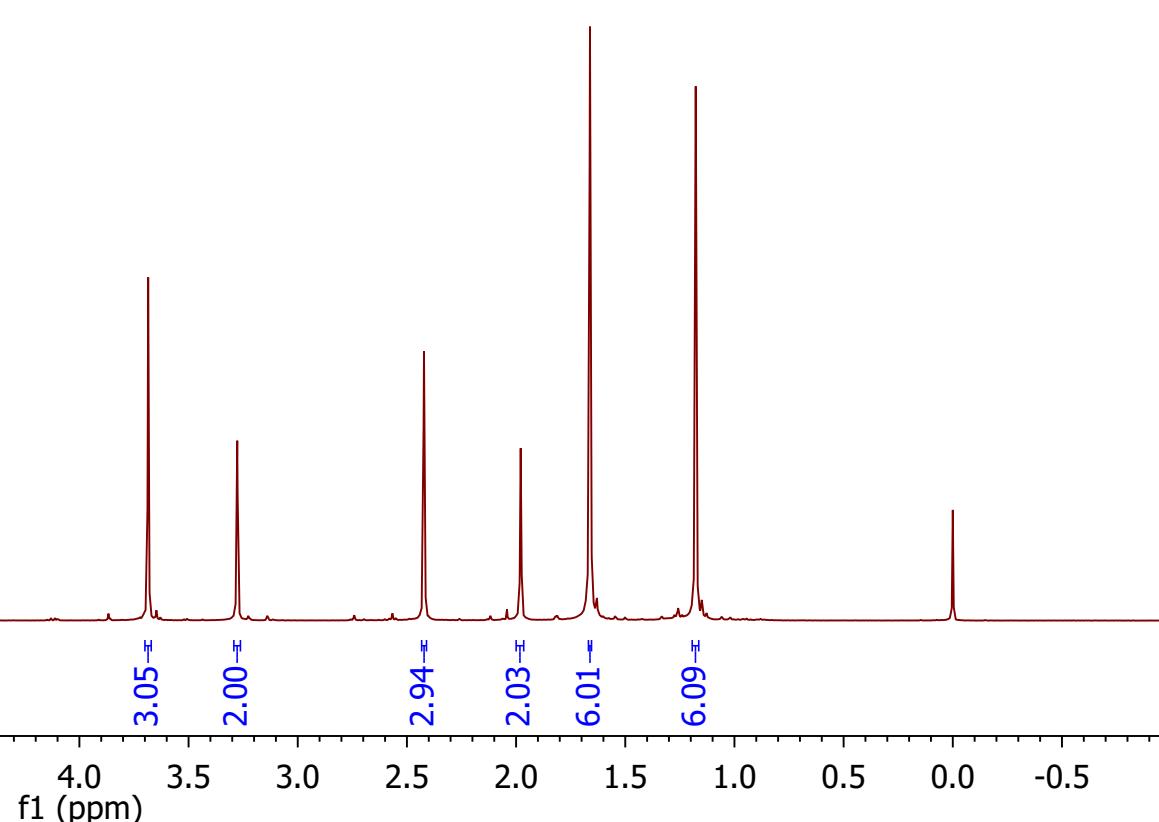
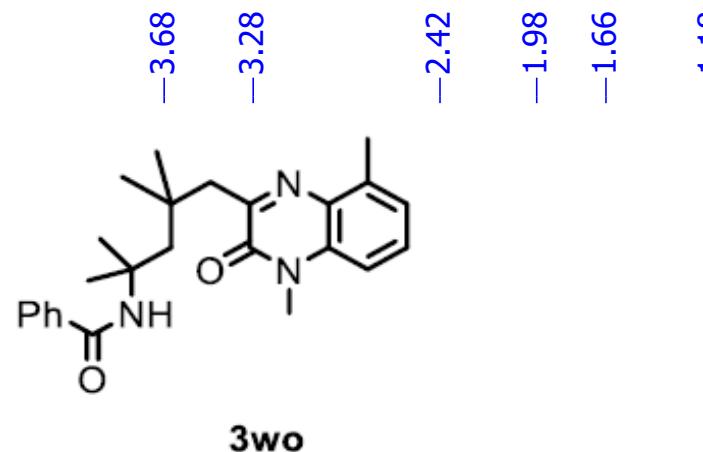
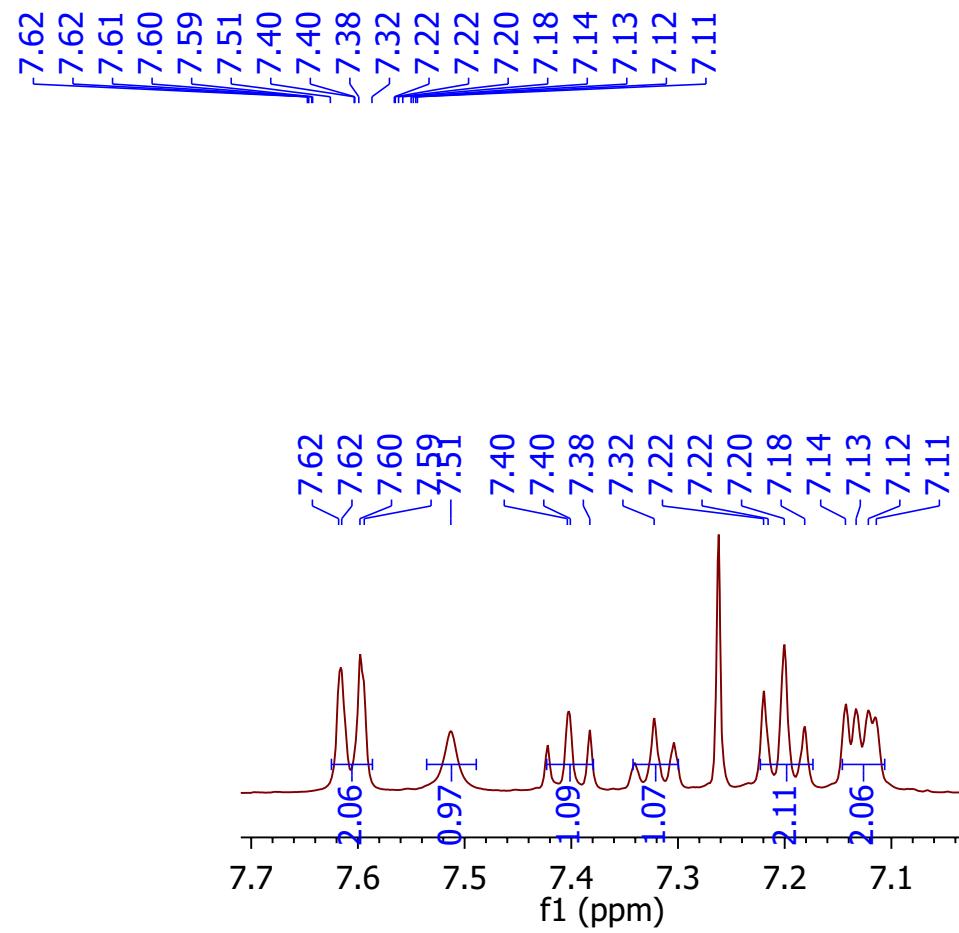
136.4
134.0
133.4
130.8
130.3
128.3
126.8
126.5
118.1
117.8
113.1

—55.6
—50.4
—42.7
—36.8
—30.1
—29.6
—29.3



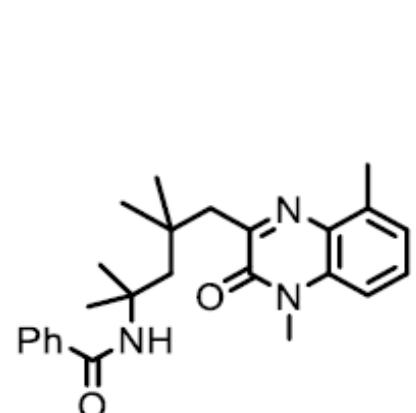
¹³C NMR Spectrum of **3vo**

1H (CDCl₃, 400 MHz)

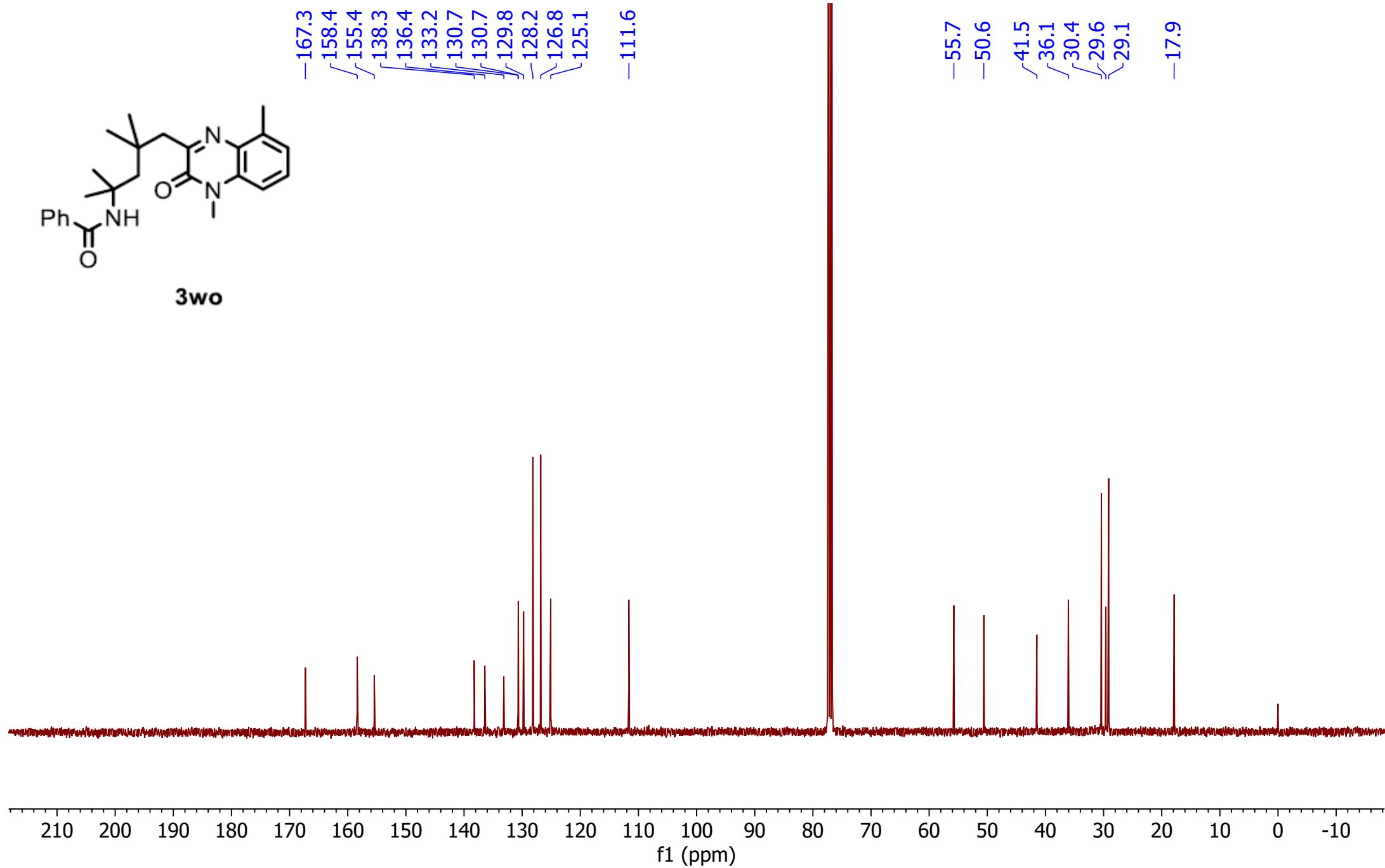


¹H NMR Spectrum of **3wo**

¹³C (CDCl₃, 101 MHz)



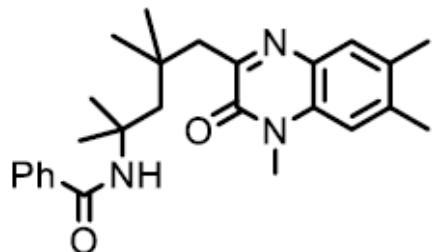
3wo



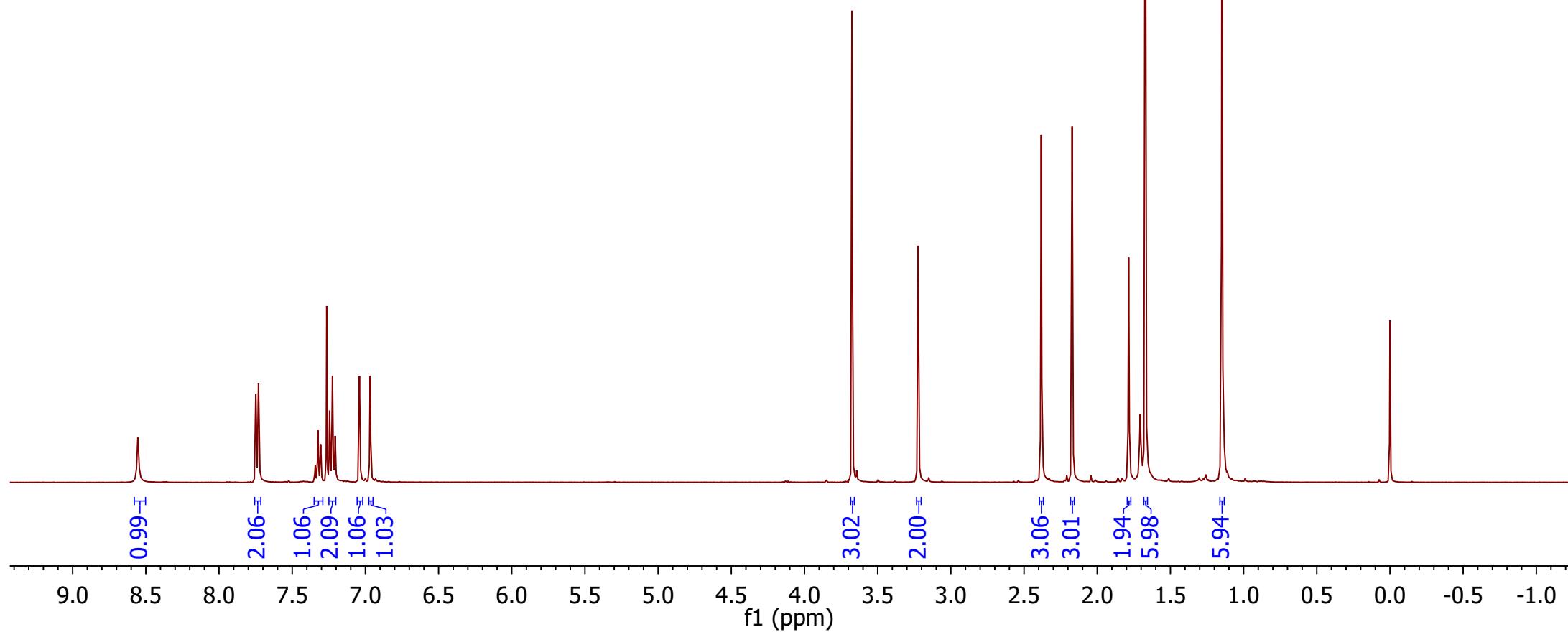
¹³C NMR Spectrum of **3wo**

1H (CDCl₃, 400 MHz)

8.55 [7.75 [7.75 [7.74 [7.73 [7.73 [7.73 [7.74 [7.34 [7.32 [7.32 [7.31 [7.31 [7.30 [7.24 [7.23 [7.22 [7.21 [7.21 [7.04 [6.97

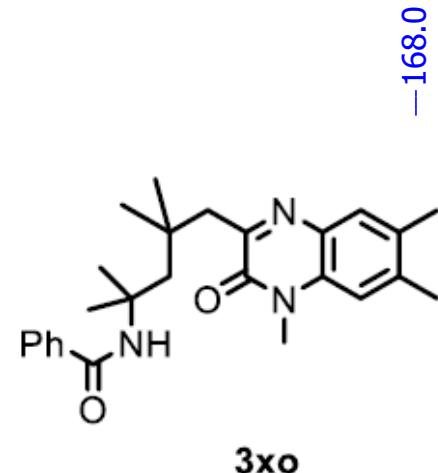


3xo



¹H NMR Spectrum of **3xo**

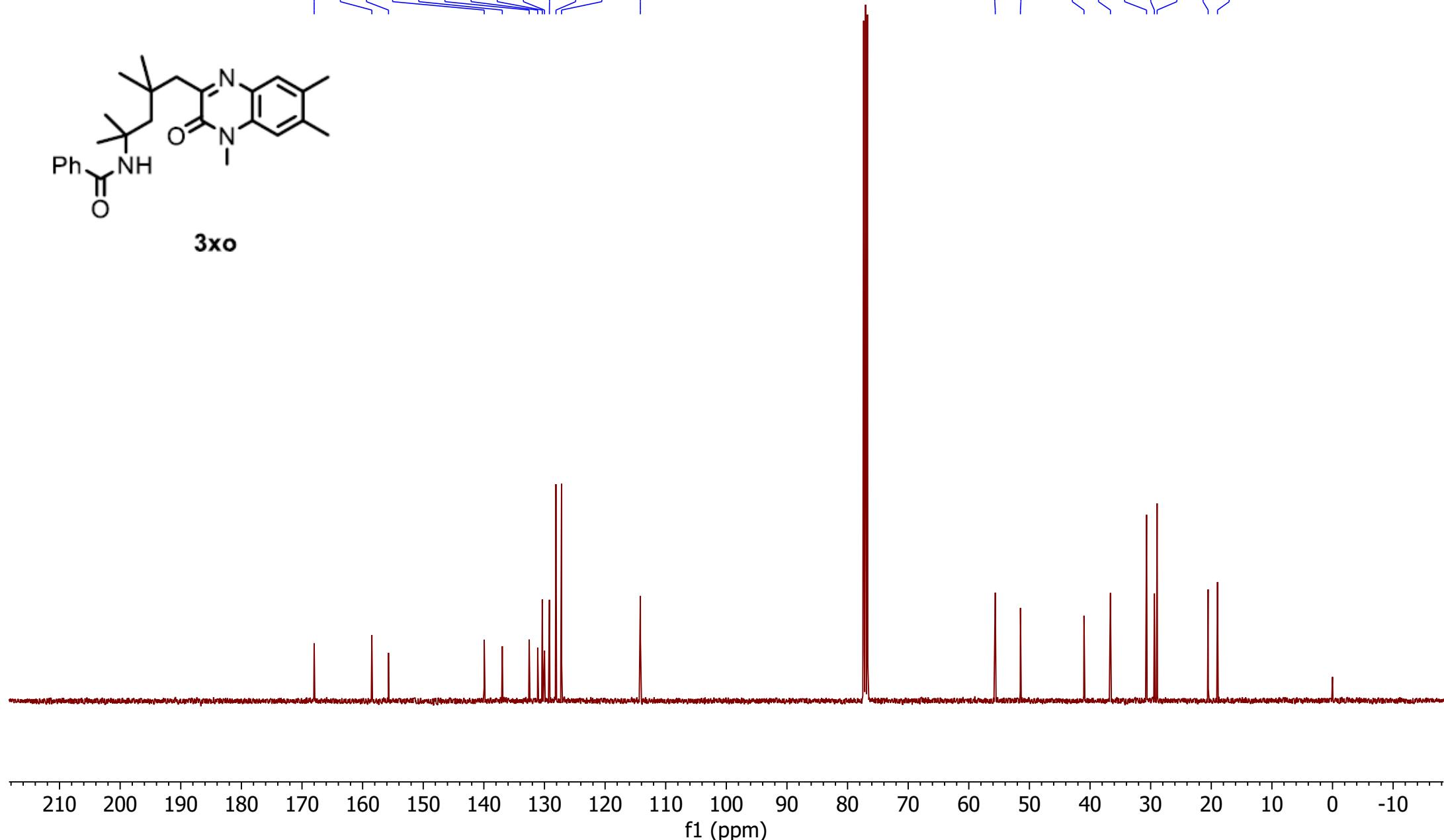
¹³C (CDCl₃, 101 MHz)



Peak labels (ppm):

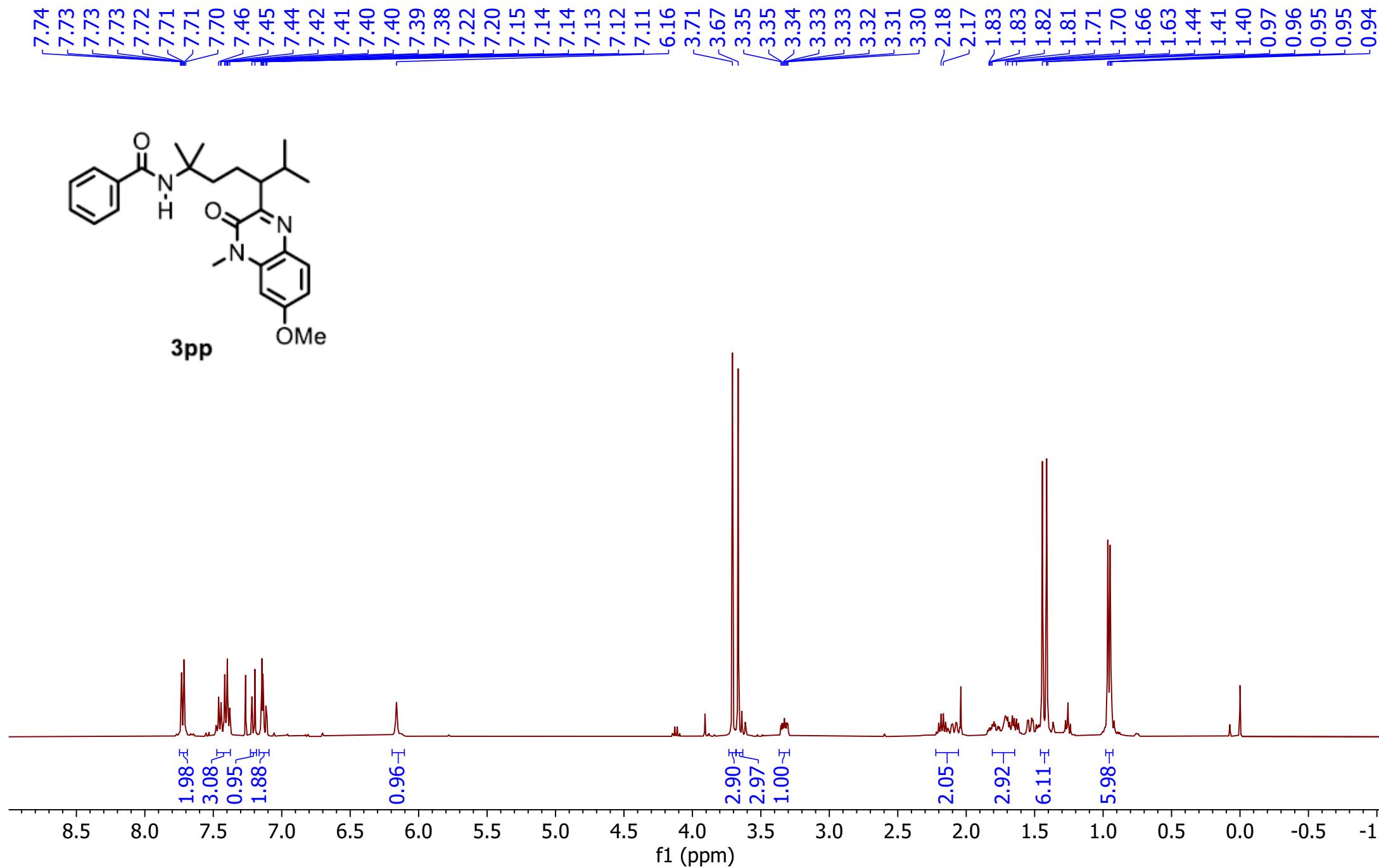
- 168.0
- 158.5
- 155.7
- 139.9
- 137.0
- 132.5
- 131.1
- 130.4
- 130.0
- 129.2
- 128.1
- 127.2
- 114.2

- 55.6
- 51.5
- 41.0
- 36.6
- 30.7
- 29.4
- 28.9
- 20.5
- 19.0



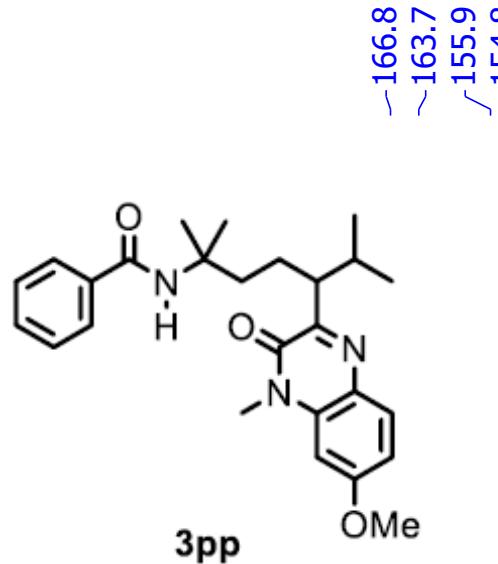
¹³C NMR Spectrum of **3xo**

1H (CDCl₃, 400 MHz)



¹H NMR Spectrum of 3pp

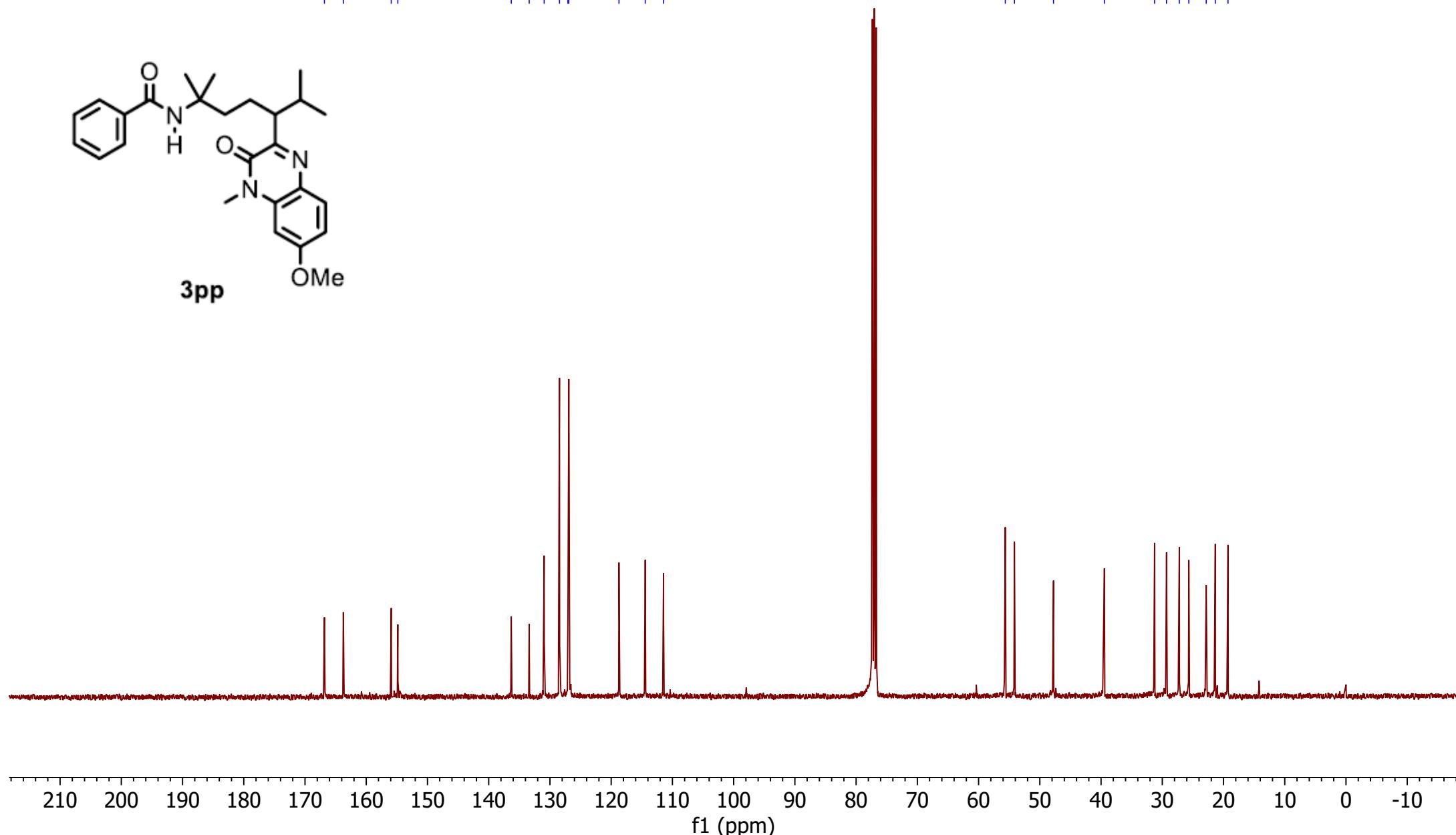
¹³C (CDCl₃, 101 MHz)



166.8
~163.7
155.9
~154.8

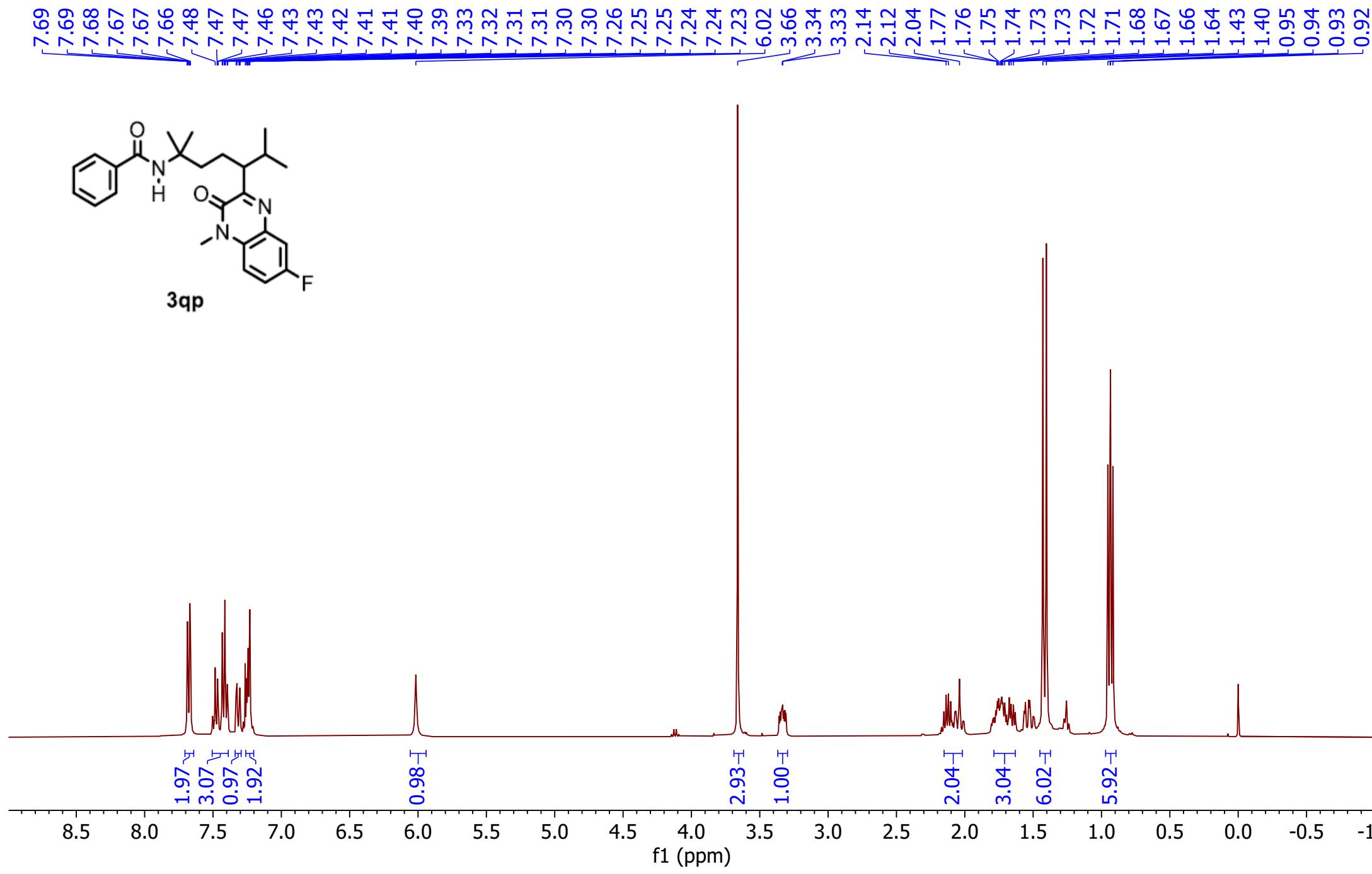
136.3
133.4
~130.9
128.4
127.1
126.9
118.7
114.4
111.4

55.6
54.1
47.8
39.5
31.3
29.3
27.2
25.7
22.8
~21.3
19.3



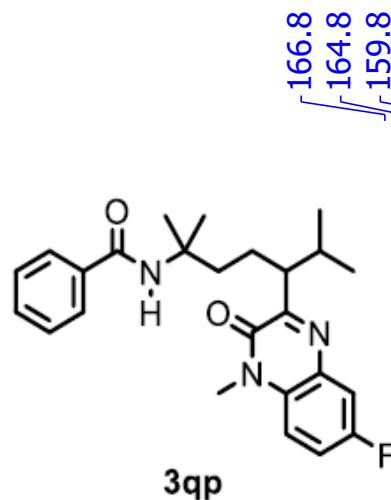
¹³C NMR Spectrum of 3pp

¹H (CDCl₃, 400 MHz)



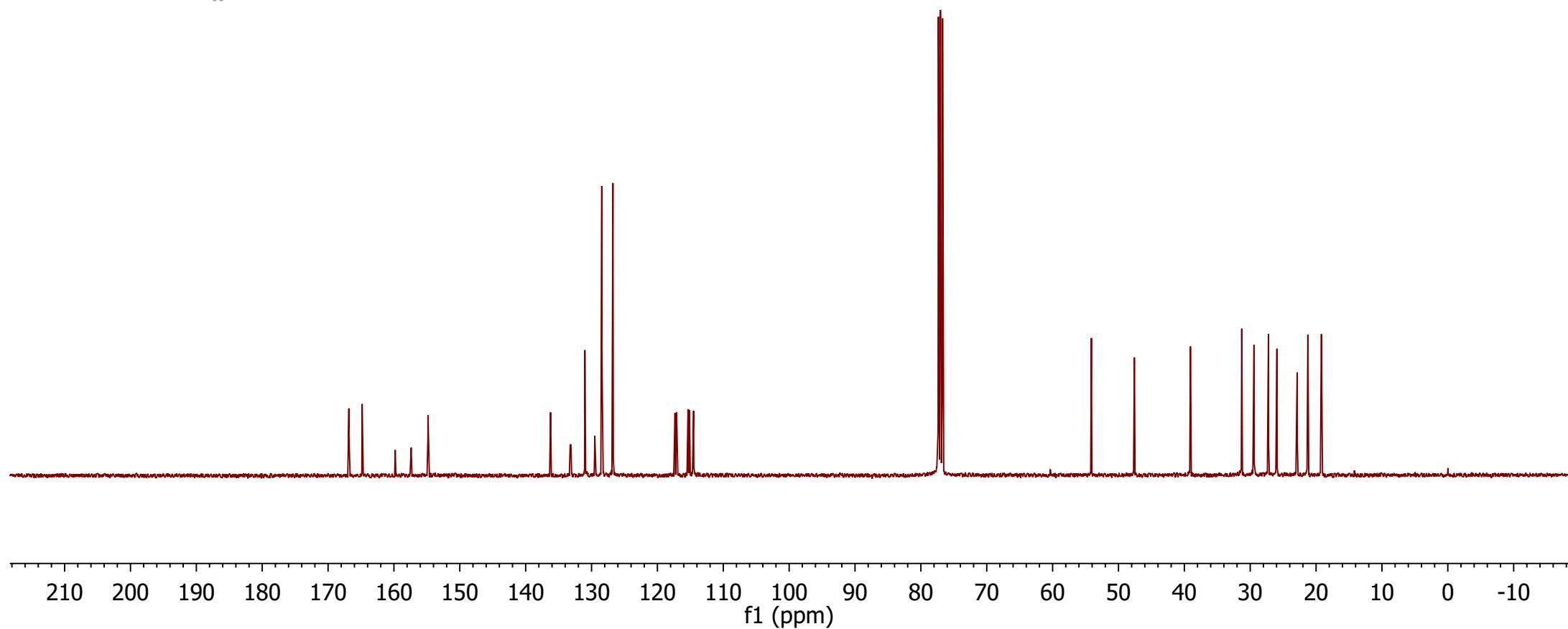
¹H NMR Spectrum of **3pq**

¹³C (CDCl₃, 101 MHz)



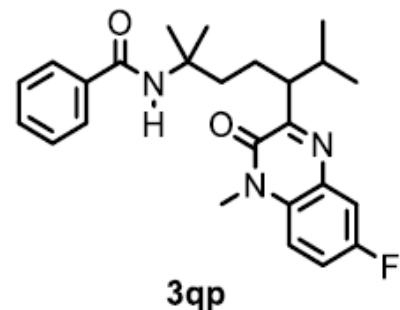
166.8
164.8
159.8
157.4
154.8
136.2
133.2
133.1
131.0
129.5
129.5
128.4
126.7
117.3
117.1
115.3
115.1
114.6
114.5

54.1
47.6
39.1
31.3
29.4
27.2
25.9
22.9
21.2
19.2

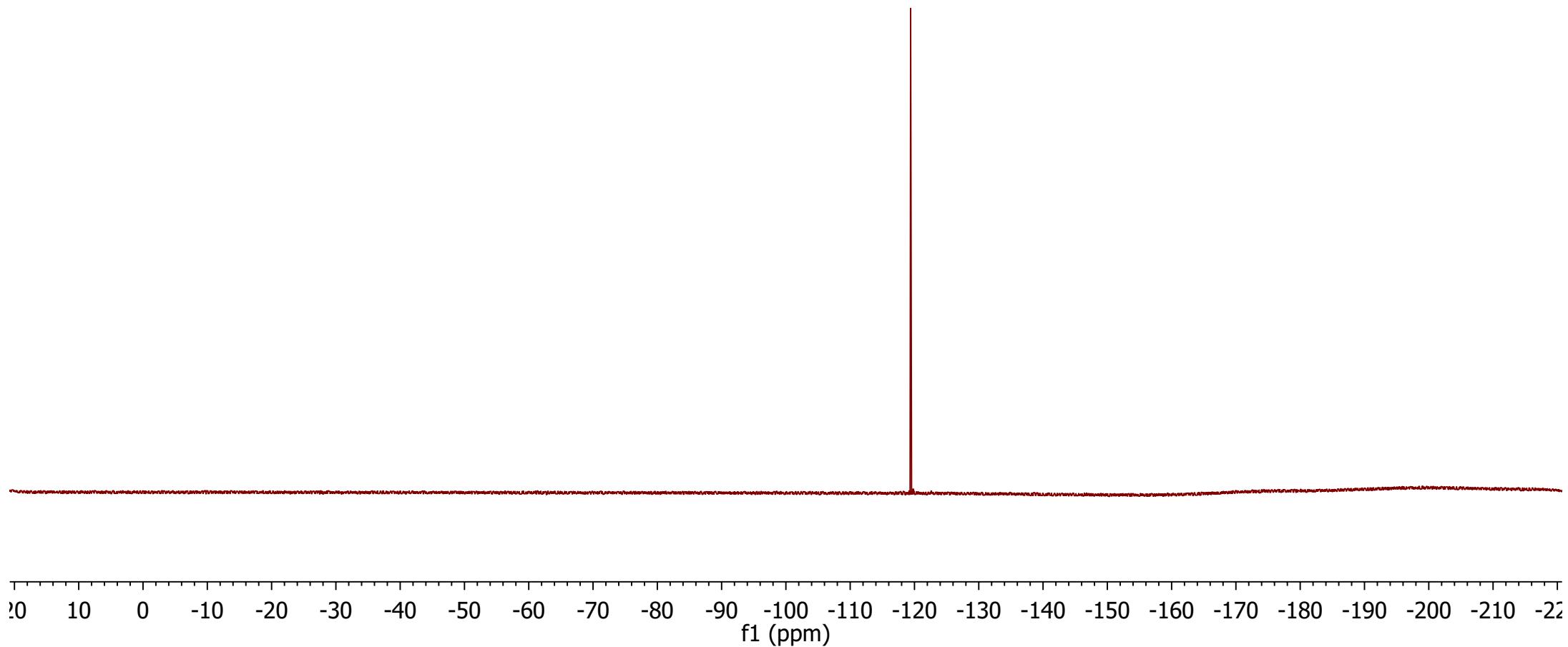


¹³C NMR Spectrum of 3qp

¹⁹F (CDCl₃, 376 MHz)



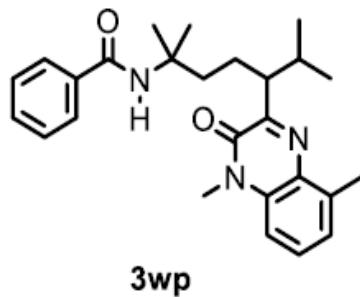
-119.4



¹⁹F NMR Spectrum of 3qp

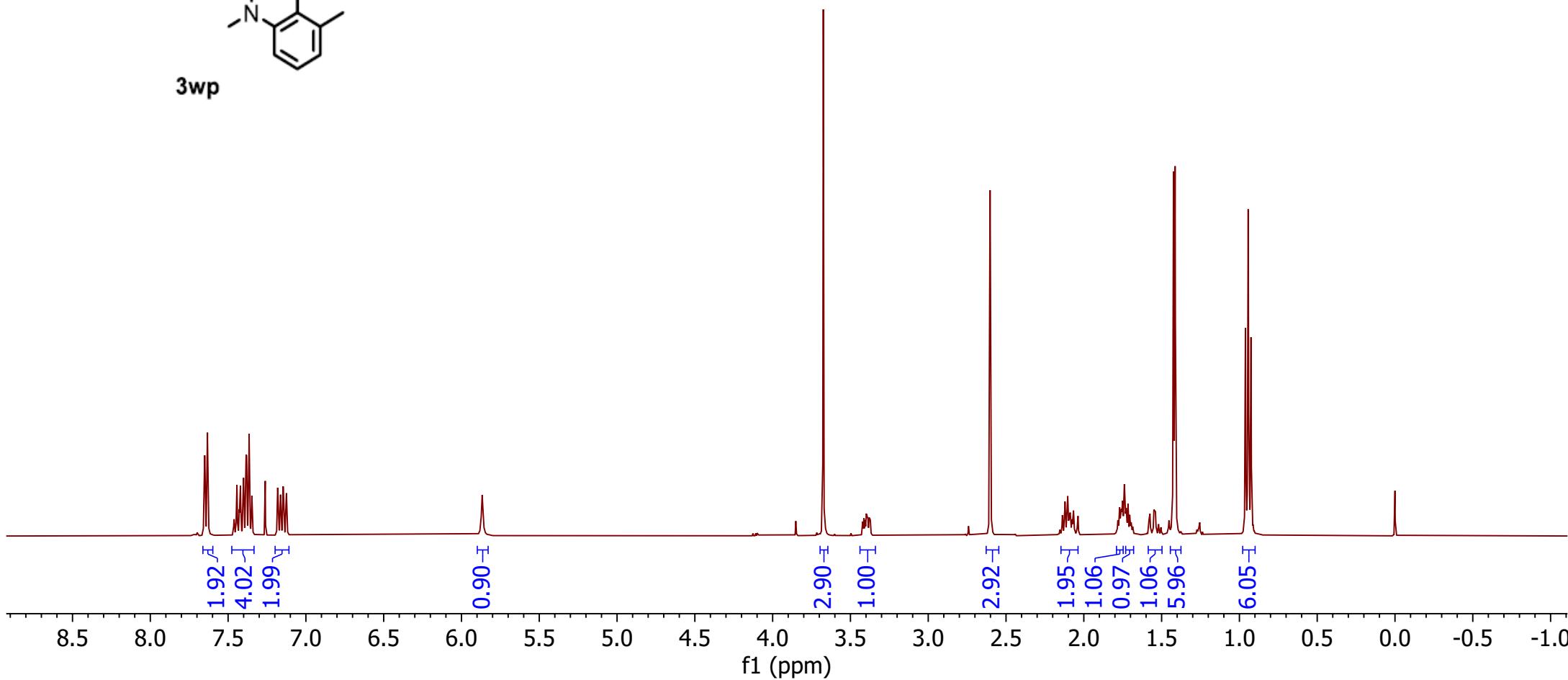
¹H (CDCl₃, 101 MHz)

7.65
7.63
7.63
7.44
7.42
7.42
7.40
7.40
7.39
7.38
7.38
7.37
7.36
7.35
7.18
7.15
5.87



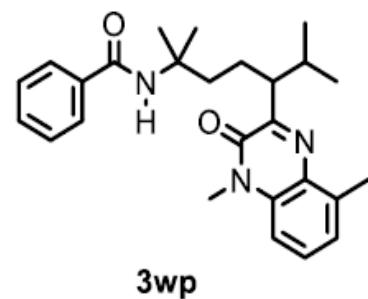
3.67
3.42
3.41
3.41
3.40
3.40
3.40
3.39
3.38
3.37
2.60

2.12
2.10
2.09
2.09
2.07
2.07
1.77
1.76
1.76
1.75
1.73
1.72
1.57
1.55
1.55
1.54
1.42
1.41
0.96
0.94
n/a



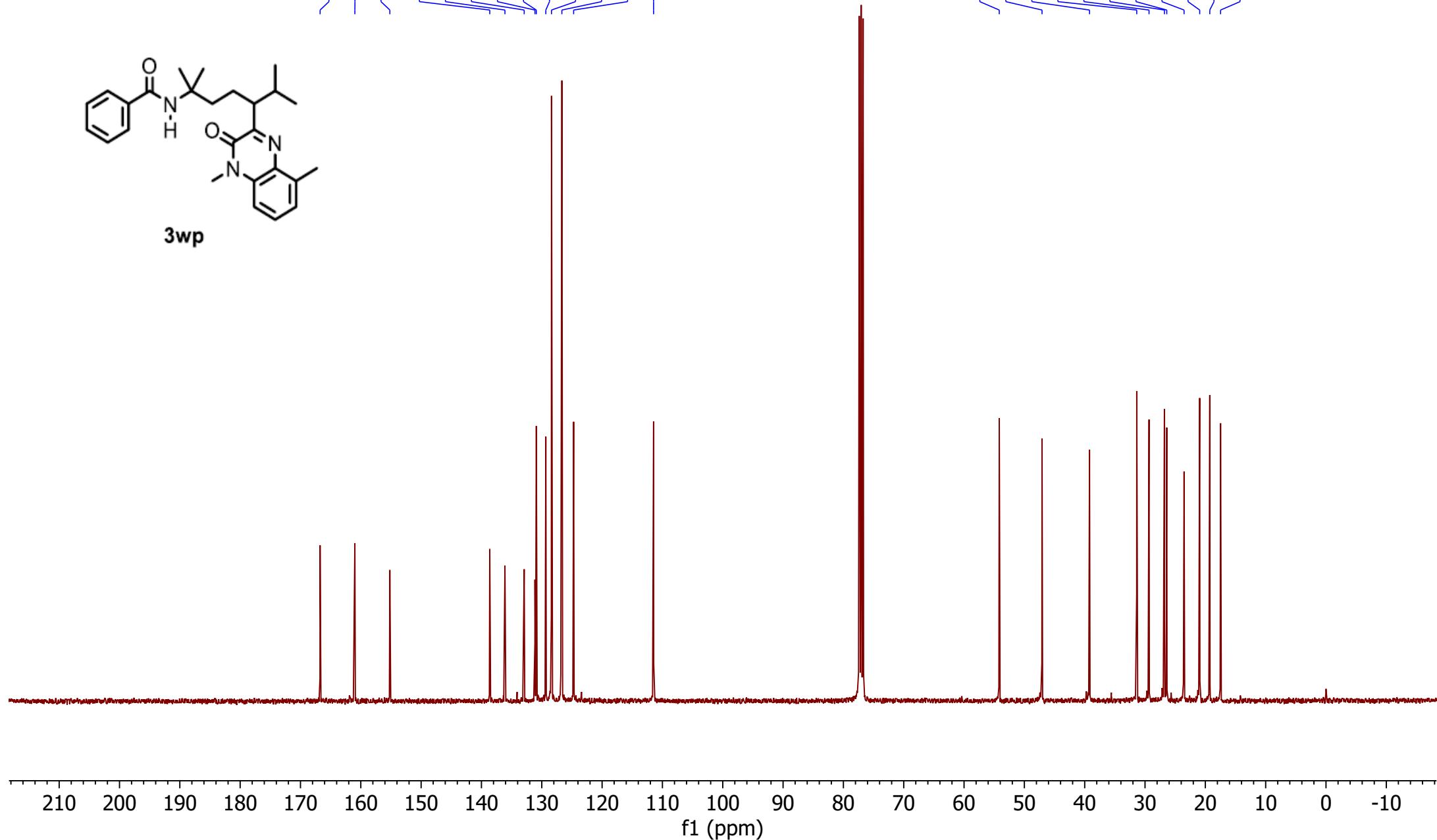
¹H NMR Spectrum of 3wp

¹³C (CDCl₃, 101 MHz)



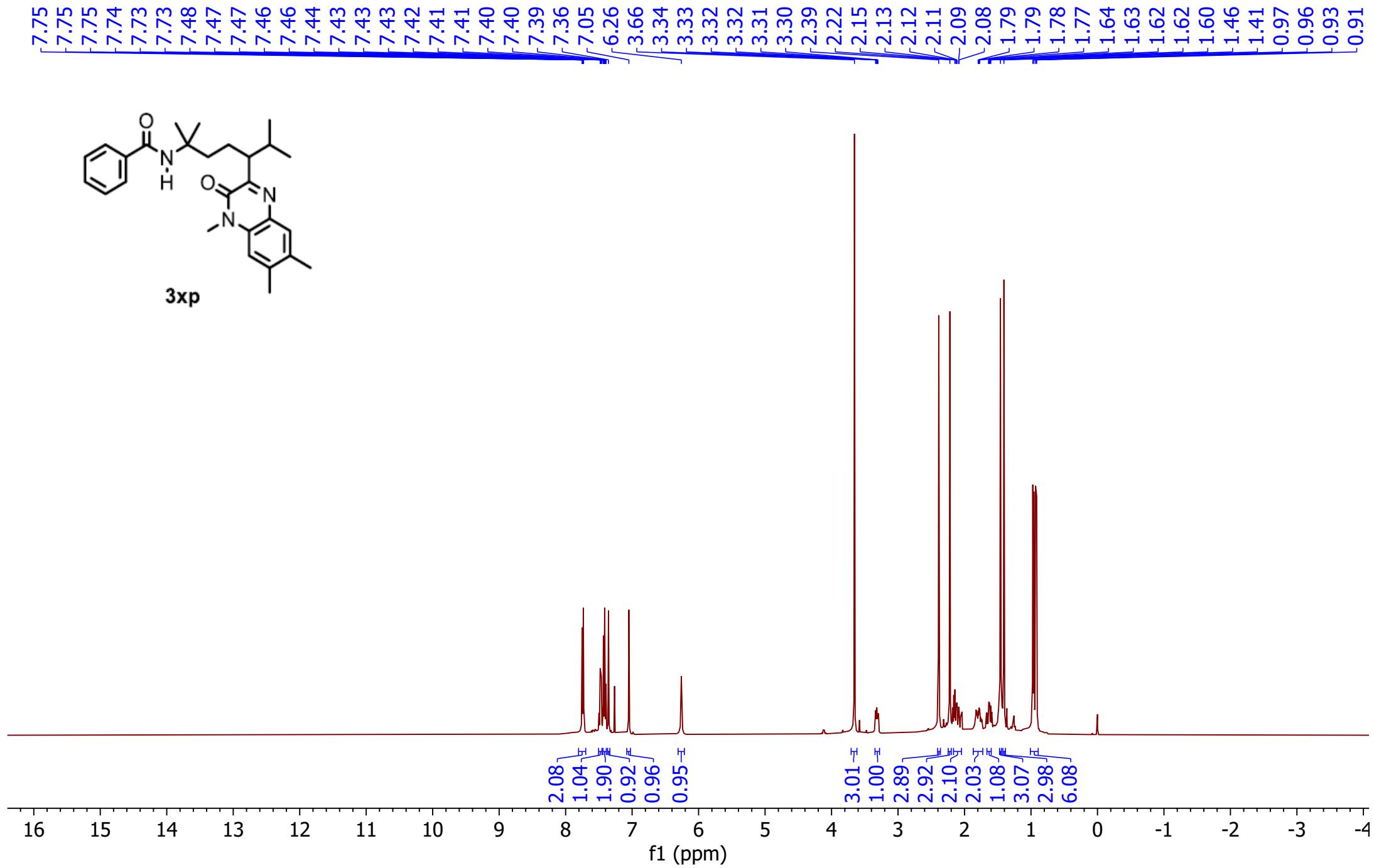
166.7
161.0
155.2
138.6
136.1
132.9
131.1
130.9
129.3
128.4
126.7
124.7
111.5

54.2
47.1
39.2
31.4
29.3
26.8
26.4
23.5
20.9
19.3
17.5



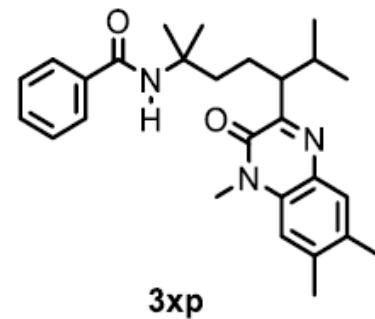
¹³C NMR Spectrum of 3wp

1H (CDCl₃, 400 MHz)



¹H NMR Spectrum of 3xp

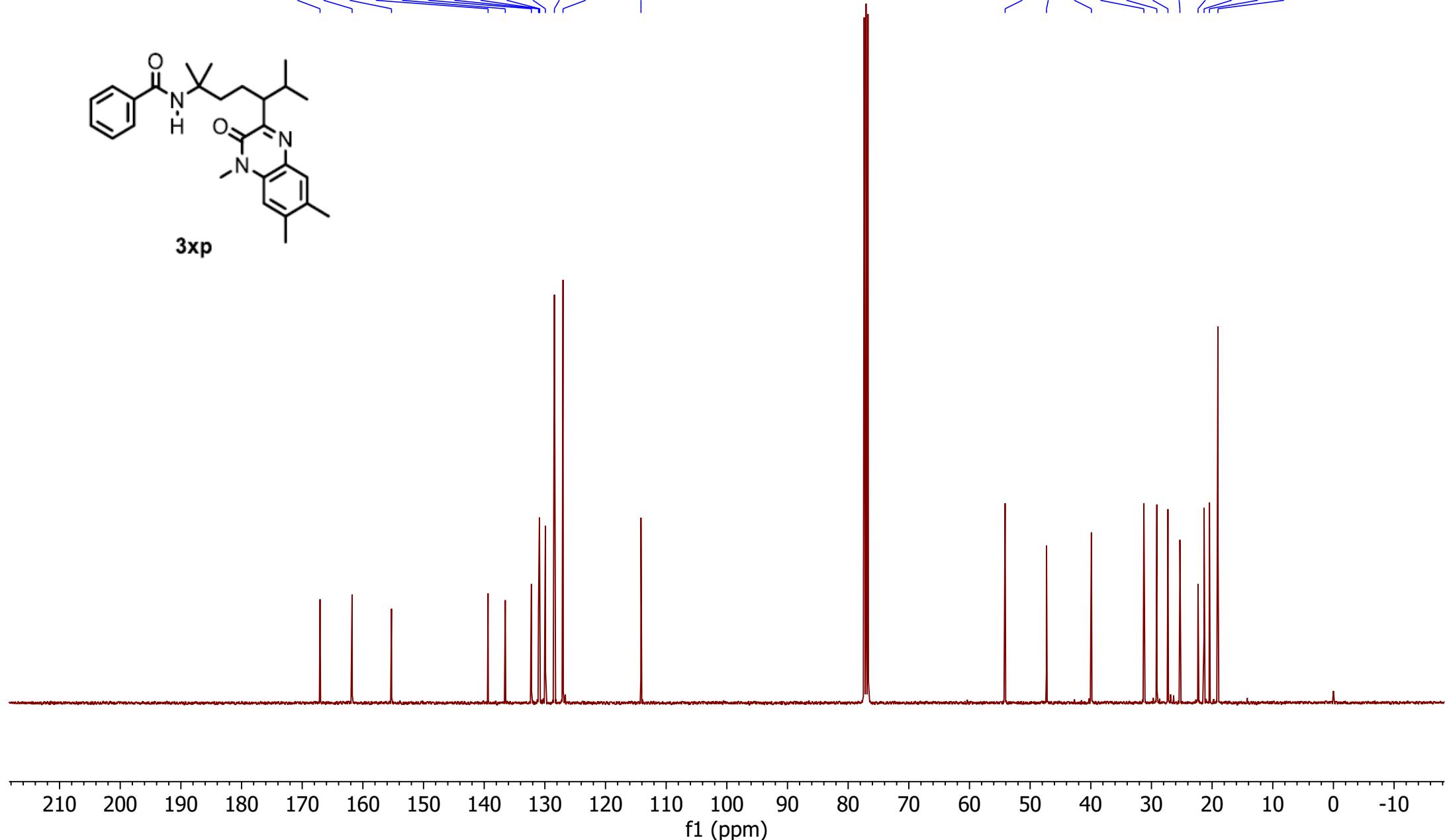
¹³C (CDCl₃, 101 MHz)



3xp

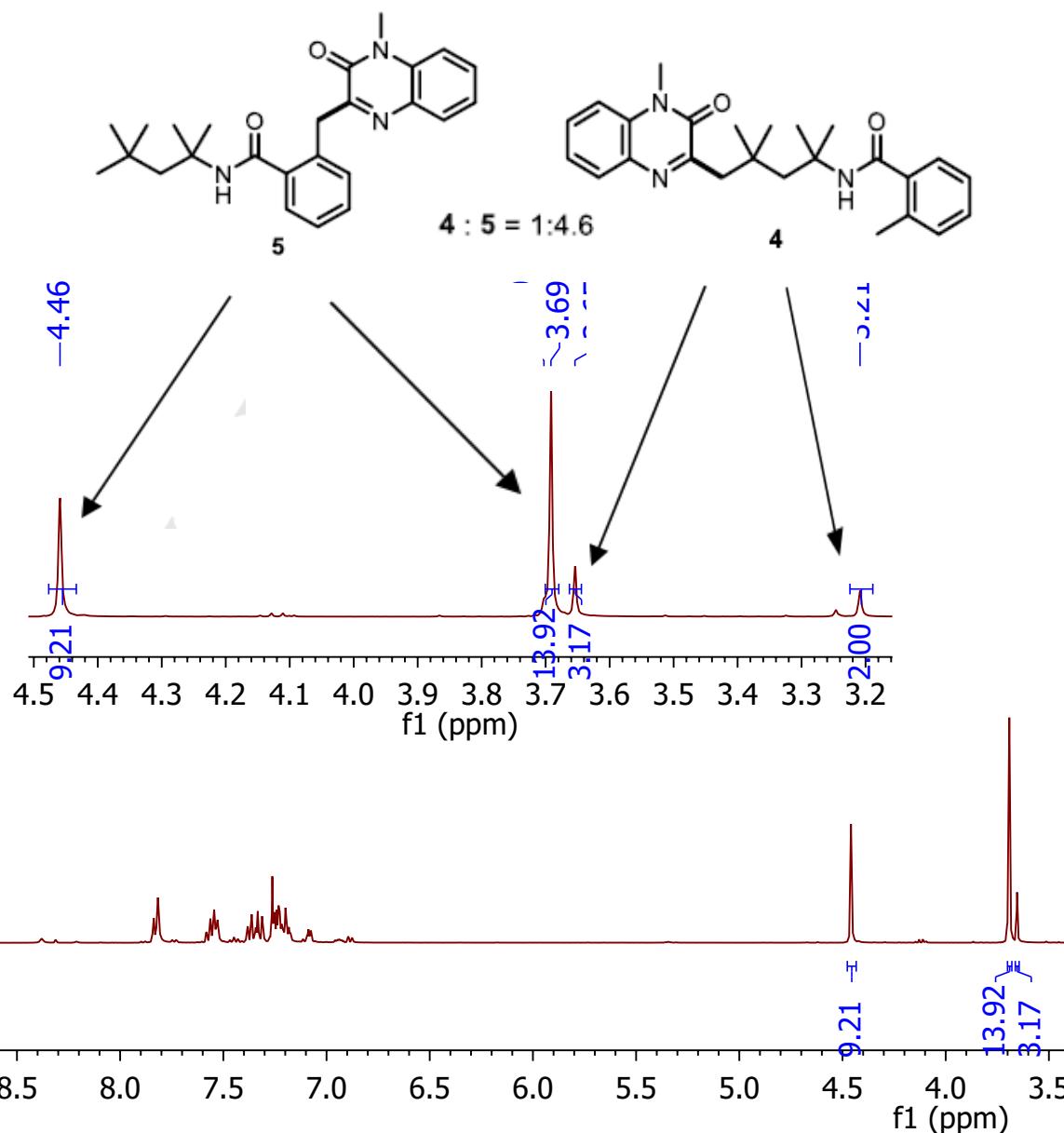
167.1
161.8
155.3
139.4
136.5
132.2
131.0
130.9
130.8
129.9
128.4
127.0
-114.2

54.1
47.3
39.9
31.2
29.1
27.3
25.3
22.3
21.3
20.4
19.0



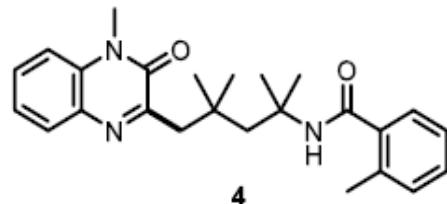
¹³C NMR Spectrum of **3xp**

¹H (CDCl₃, 400 MHz)

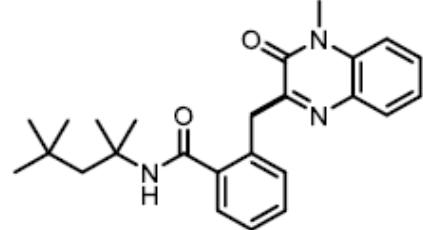


¹H NMR Spectrum of **4+5**

13C (CDCl₃, 101 MHz)

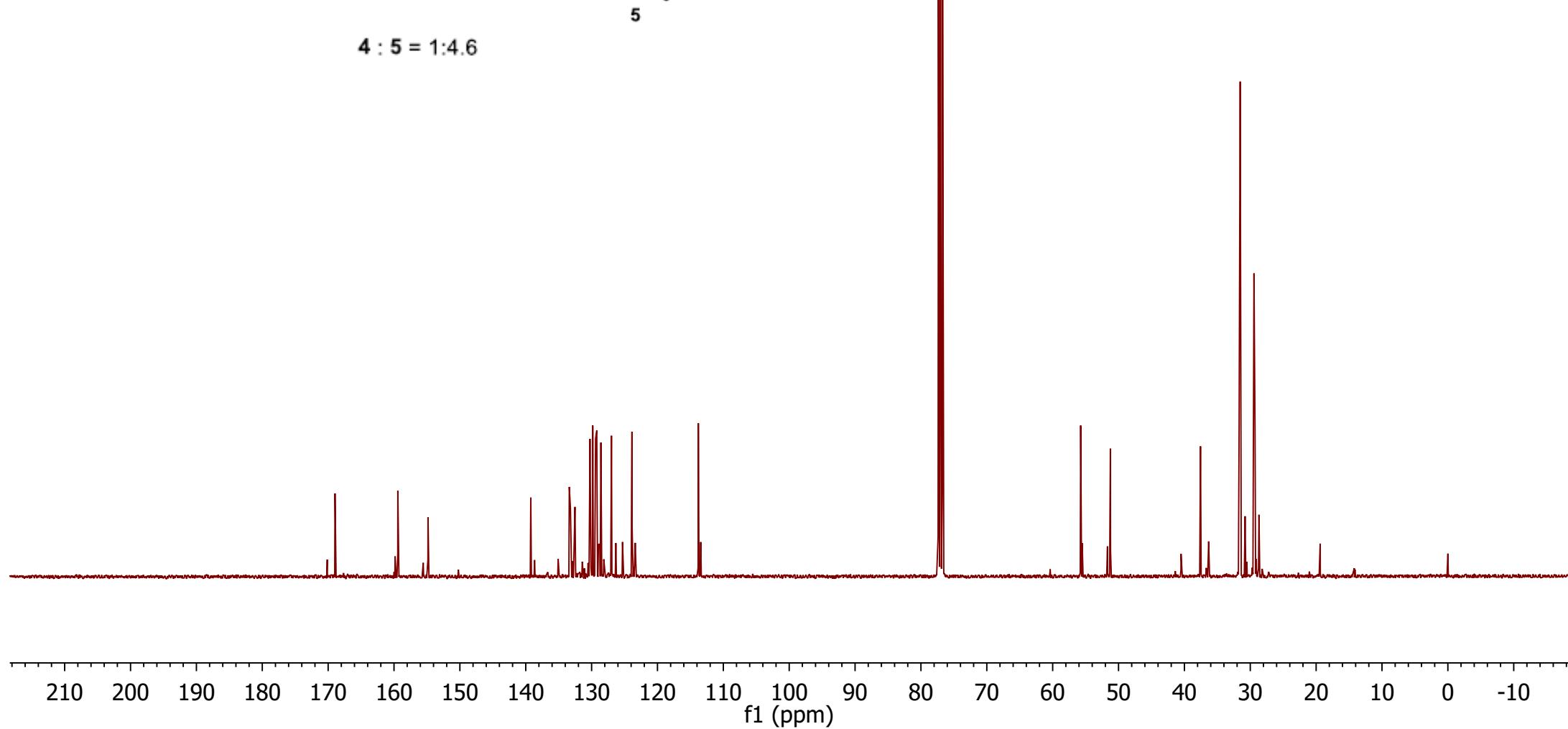


4



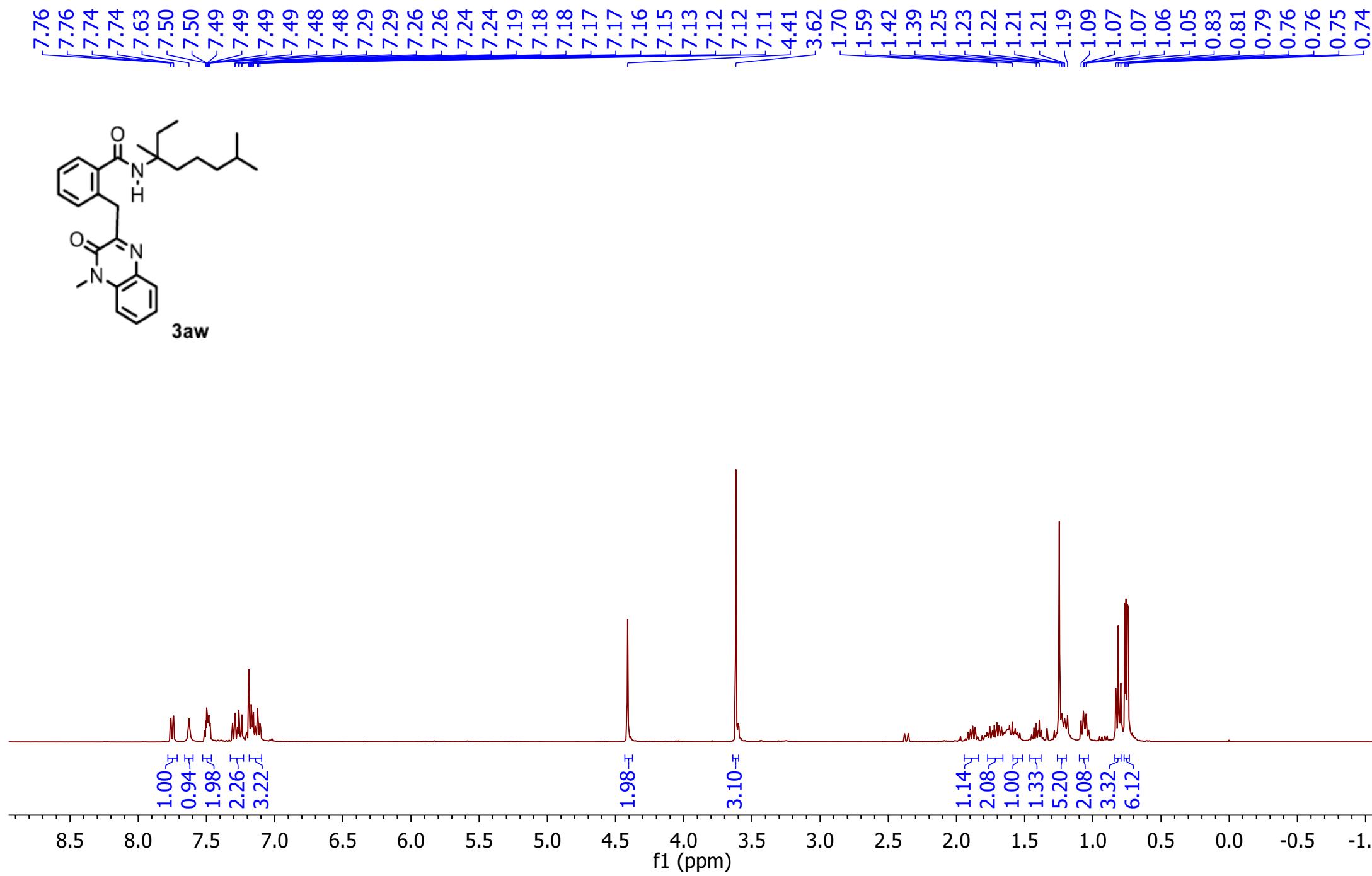
5

4 : 5 = 1:4.6



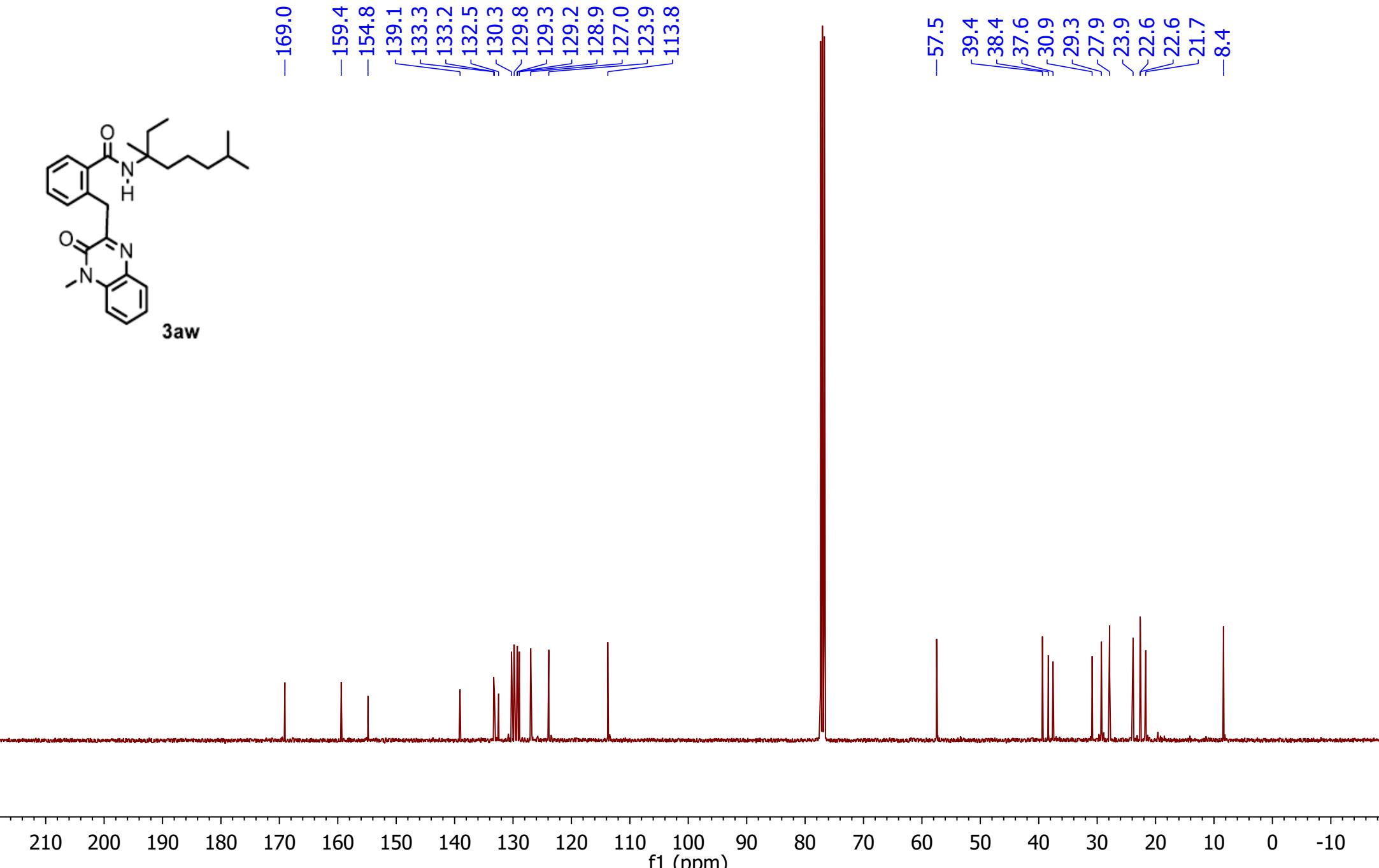
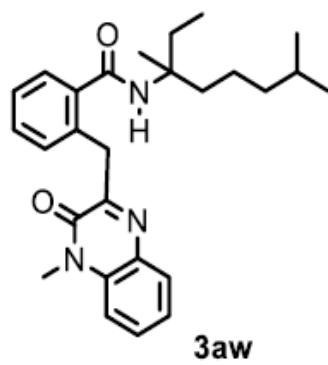
¹³C NMR Spectrum of 4+5

1H (CDCl₃, 400 MHz)



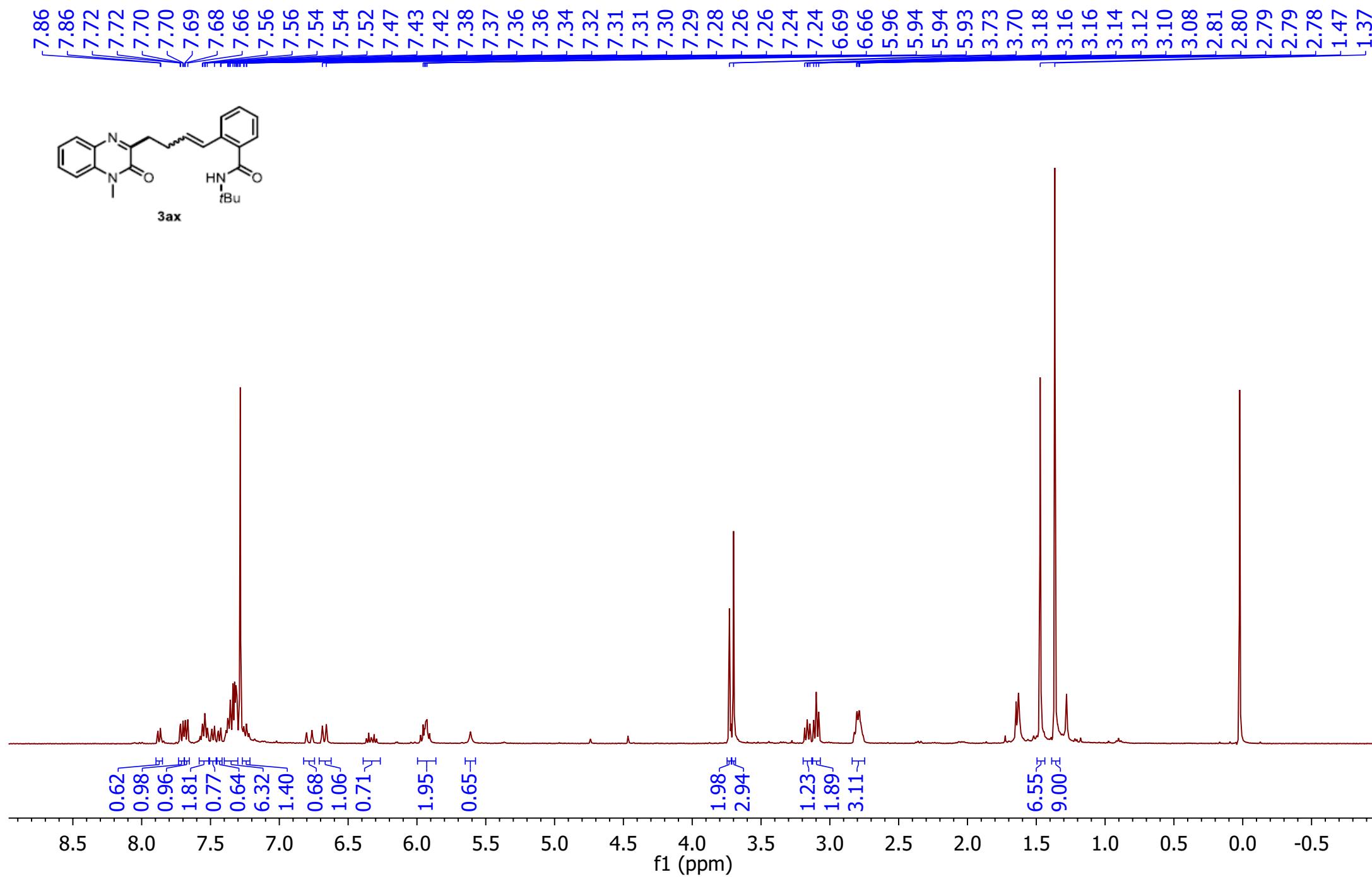
¹H NMR Spectrum of 3aw

¹³C (CDCl₃, 101 MHz)



¹³C NMR Spectrum of 3aw

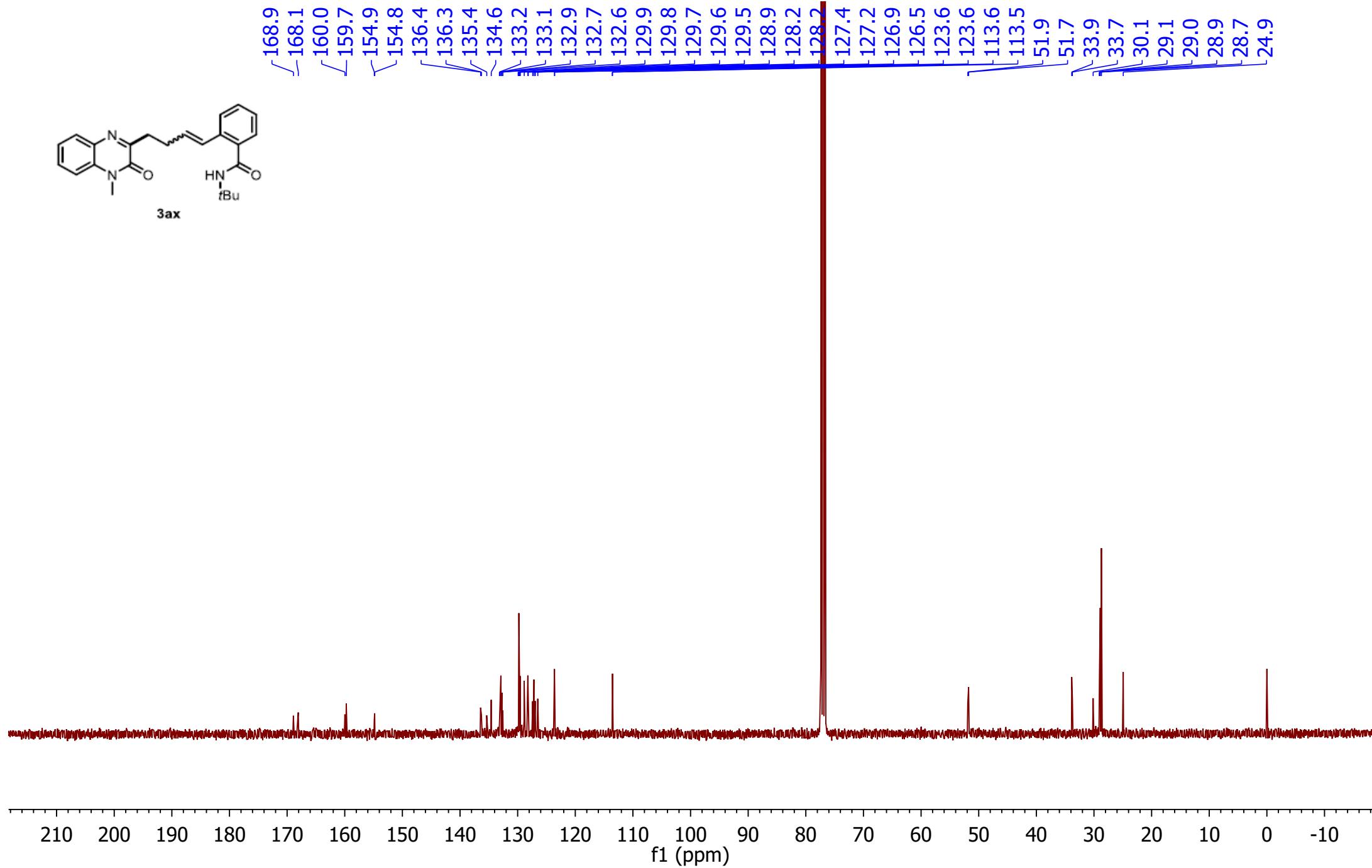
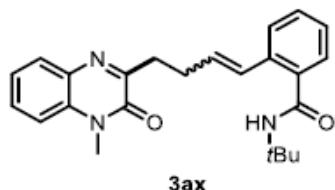
1H (CDCl₃, 400 MHz)



¹H NMR Spectrum of 3ax

13C (CDCl₃, 101 MHz)

168.9
168.1
160.0
159.7
154.9
154.8
136.4
136.3
135.4
134.6
133.2
133.1
132.9
132.7
132.6
129.9
129.8
129.7
129.6
129.5
128.9
128.2
128.2
127.4
127.2
126.9
126.5
123.6
123.6
113.6
113.5
51.9
51.7
33.9
33.7
30.1
29.1
29.0
28.9
28.7
24.9



¹³C NMR Spectrum of **3ax**