Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Copper-catalyzed redox neutral ketoalkylation of Csp^2-H bonds via C-C bond cleavage

He Chen, Li-Na Guo, Qing-Xin Sun, Lei Chen, Jing-Qi Tao and Pin Gao*

Department of Chemistry, School of Chemistry, Xi'an Key Laboratory of Sustainable Energy Material Chemistry, and MOE Key Laboratory for Nonequilibrium Synthesis and Modulation of Condensed Matter, Xi'an Jiaotong University, Xi'an 710049, China.
Email: gaopin@xjtu.edu.cn

Table of Contents

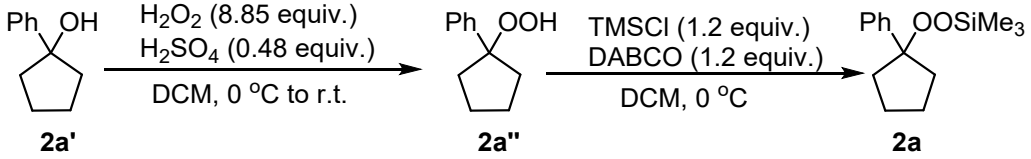
General Information

All catalytic reactions were conducted in oven-dried Schlenk-tube under an atmosphere of nitrogen. Reactions were monitored by thin layer chromatography (aluminum backed plates pre-coated (0.25 mm) with Merck Silica Gel 60F-254.) and visualized using UV light. Column chromatography purifications were carried out using 200-300 mesh silica gel. ^{1}H NMR and ^{13}C NMR spectra were recorded on Bruker Advance III-400 and Bruker Advance III-600 in solvents as indicated. Chemical shift are reported in ppm from TMS with the solvent resonance as internal standard ($CDCl_3$: ^{1}H NMR: $\delta = 7.26$; ^{13}C NMR: $\delta = 77.0$). Coupling constants are reported in Hz with multiplicities denoted as s (singlet), d (doublet), t (triplet), q (quartet) and m (multiplet). FT-IR spectra were recorded on a Bruker V 70 spectrometer and only major peaks are reported in cm^{-1}. HRMS were obtained on WATERS I-Class VION IMS QTof. The melting points were measured using open glass capillaries in a SGW® X-4A apparatus. Unless otherwise stated, all reagents were purchased from commercial sources and used without further purification.

Starting Materials

All of the quinoxalin-2(1*H*)-ones **1** were synthesized according to the literature.[1] All of cycloalkyl silyl peroxides **2** were prepared according to the literature.[2] All of the NMR spectra of known compounds were in full accordance with the data in the literatures.

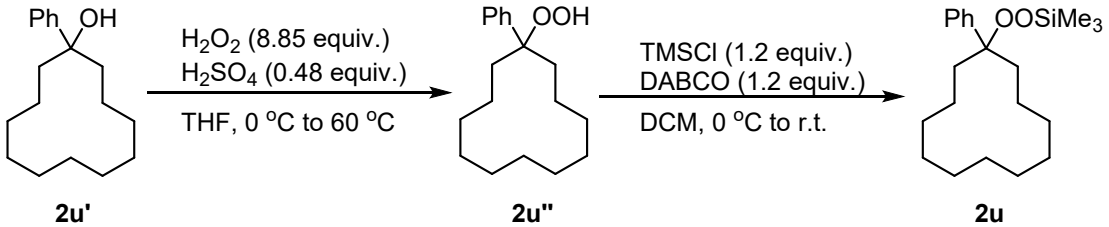
1. General Procedure for the Synthesis of 2a–2i, 2k, 2l, 2n, 2p: a Typical Procedure for the Synthesis of Alkylsilyl Peroxide 2a



To a solution of H_2O_2 (10 mL, 88.5 mmol, 30 wt% in H_2O) and conc. H_2SO_4 (0.25 mL, 4.8 mmol) was added a solution of 1-phenylcyclopentan-1-ol **2a'** (1.62 g, 10 mmol) in dichloromethane (2.0 mL) at 0 °C. The reaction mixture was stirred vigorously for 18 h at room temperature. After the reaction completed, the mixture was quenched with water and extracted with dichloromethane (3×10 mL). The combined organic phase was washed with brine (10 mL), dried over Na_2SO_4 and concentrated in vacuo. Purification of the crude product by flash chromatography on silica gel (petroleum ether/ethyl acetate 15:1) affords corresponding alkyl hydroperoxide **2a''** as colorless oil.

An oven-dried 10 mL reaction tube equipped with a magnetic stir bar was charged with 1,4-diazabicyclo[2.2.2]octane (0.8 g, 7.2 mmol, 1.2 equiv). Then, the tube was evacuated and backfilled with nitrogen (three times). A solution of alkyl hydroperoxide **2a''** (1.07 g, 6 mmol) in 3 mL of dichloromethane at 0 °C was injected into the tube. Chlorotrimethylsilane (0.9 mL, 7.2 mmol, 1.2 equiv.) was added slowly under nitrogen atmosphere at 0 °C. The reaction mixture was stirred at room temperature for 5 h. The reaction was quenched with H_2O and the organic materials were extracted with dichloromethane (3×10 mL). The combined organic phase was washed with brine (10 mL), dried over Na_2SO_4 and concentrated in vacuo. Purification of the crude product by flash chromatography on silica gel (petroleum ether/ethyl acetate 20:1) affords corresponding alkylsilyl peroxide **2a** as colorless oil.

2. General Procedure for the Synthesis of 2j, 2o, 2q-2u: a Typical Procedure for the Synthesis of Alkylsilyl Peroxide 2u

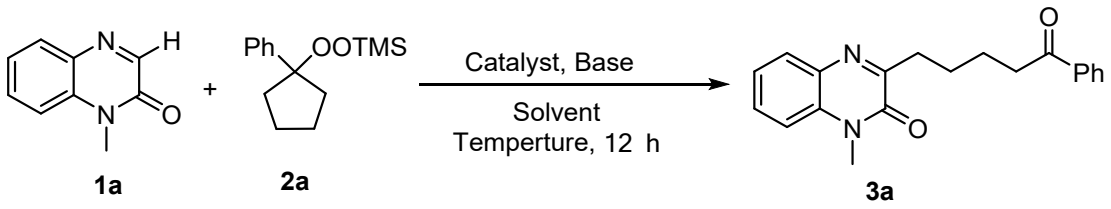


To a solution of H_2O_2 (10 mL, 88.5 mmol, 30 wt% in H_2O) and conc. H_2SO_4 (0.25 mL, 4.8 mmol) was added a solution of 1-phenylcyclododecan-1-ol **2u'** (2.60 g, 10

mmol) in tetrahydrofuran (2.0 mL) at 0 °C. The reaction mixture was stirred vigorously for 12 h at 60 °C. After the reaction completed, the mixture was quenched with water and extracted with ethyl acetate (3×10 mL). The combined organic phase was washed with brine (10 mL), dried over Na_2SO_4 and concentrated in vacuo. Purification of the crude product by flash chromatography on silica gel (petroleum ether/ethyl acetate 15:1) affords corresponding alkyl hydroperoxide **2u''** as colorless oil.

An oven-dried 10 mL reaction tube equipped with a magnetic stir bar was charged with 1,4-diazabicyclo[2.2.2]octane (1.08 g, 9.6 mmol, 1.2 equiv). Then, the tube was evacuated and backfilled with nitrogen (three times). A solution of alkyl hydroperoxide **2u''** (2.21 g, 8 mmol) in 4 mL of dichloromethane at 0 °C was injected into the tube. Chlorotrimethylsilane (1.2 mL, 9.6 mmol, 1.2 equiv.) was added slowly under nitrogen atmosphere at 0 °C. The reaction mixture was stirred at room temperature for 5 h. The reaction was quenched with H_2O and the organic materials were extracted with dichloromethane (3×10 mL). The combined organic phase was washed with brine (10 mL), dried over Na_2SO_4 and concentrated in vacuo. Purification of the crude product by flash chromatography on silica gel (petroleum ether/ethyl acetate 20:1) affords corresponding alkylsilyl peroxide **2u** as colorless oil.

General Procedure for the Reaction of Quinoxaline-2(1*H*)-one 1a with Cyclopentyl Silyl Peroxide 2a

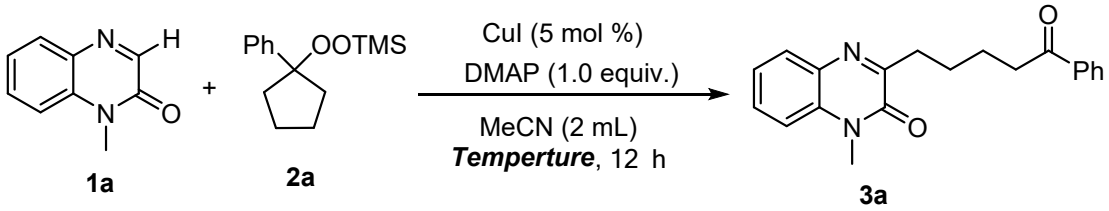


1a **2a** **3a**

An oven-dried 10 mL Schlenk-tube equipped with a magnetic stir bar was charged with quinoxalin-2(1*H*)-one **1a** (0.2 mmol, 1.0 equiv.), catalyst and base. Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of cyclopentyl silyl peroxide **2a** (0.3 mmol, 1.5 equiv.) in solvent (2 mL) were injected into the tube by syringe under nitrogen atmosphere. The tube was then sealed and the mixture was stirred at specified temperature for 12 h. After that, the resulting mixture was diluted with EtOAc. And the organic phase was washed with H_2O (3 x 10 mL) and brine (10 mL) the dried (Na_2SO_4) and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (gradient eluent of petroleum ether/EtOAc = 5:1) to give the desired product **3a** as a yellow liquid. The results are summarized as following.

Table S1. Optimization of the Reaction of Quinoxaline-2(1*H*)-one 1a with Cyclopentyl Silyl Peroxide 2a

Temperature[a]

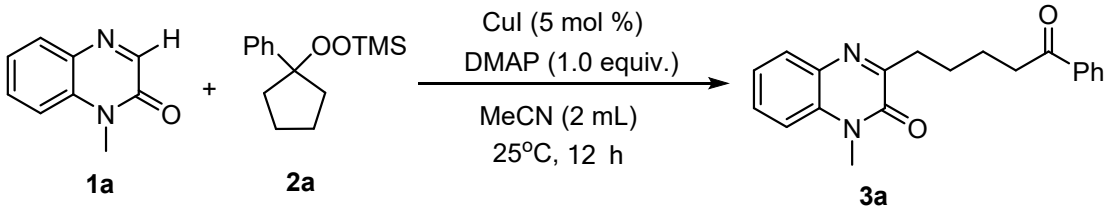


1a **2a** **3a**

Entry	Temperature (°C)	Yield (%)[b]
1	60	73
2[c]	60	21
3	**25**	**70**
4	Blue LED	20

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), 5 mol % of CuI, DMAP (0.2 mmol, 1.0 equiv.), MeCN (2.0 mL), temperature, for 12 h, under N_2. [b]Yields of isolated product. [c]Without catalyst and base.

The ratio of 1a:2a[a]

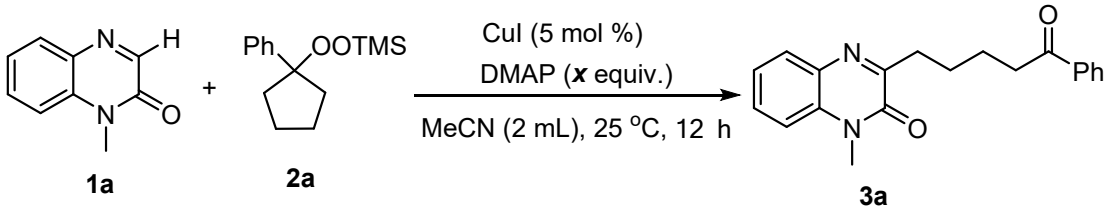


1a **2a** **3a**

Entry	Ratio (**1a : 2a**)	Yield (%)[b]
1	1 : 1	63
2	1 : 1.2	61
3	**1 : 1.5**	**70**

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **1a** : **2a** = 1 : x, 5 mol % of CuI, DMAP (0.2 mmol, 1.0 equiv.), MeCN (2.0 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

The amount of the DMAP[a]

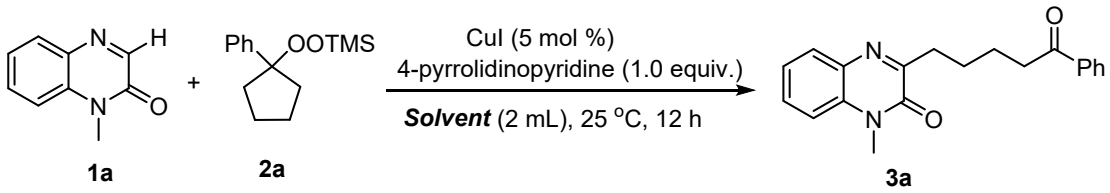


1a **2a** **3a**

Entry	DMAP (***x*** equiv.)	Yield (%)[b]
1	0	N.R.
2	0.1	21
3	0.5	51
4	**1.0**	**70**
5	1.5	60
6	2.0	59

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), 5 mol % of CuI, DMAP (x equiv.), MeCN (2.0 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Solvent[a]

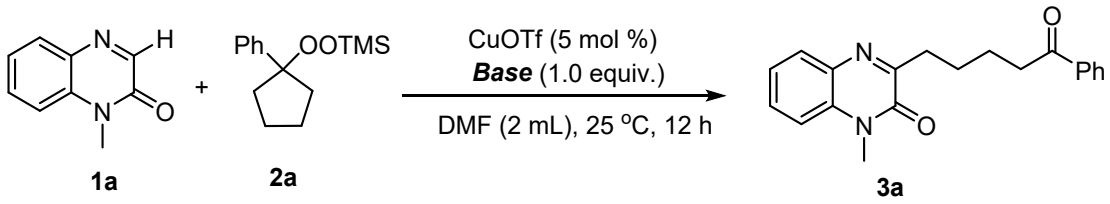


1a **2a** **3a**

Entry	Solvent	Yield (%)[b]
1	CH_3OH	61
2	DMSO	73
3	**DMF**	**74**
4	DMAc	59
5	NMP	57
6	MeCN	70
7	CH_3NO_2	67
8	THF	54
9	1,4-Dioxane	63
10	DME	55
11	EtOAc	trace
12	DCE	67
13	$PhCF_3$	70
14	Toluene	66
15	Cyclohexane	36

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), 5 mol % of CuI, DMAP (1.0 equiv.), solvent (2.0 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Base[a]

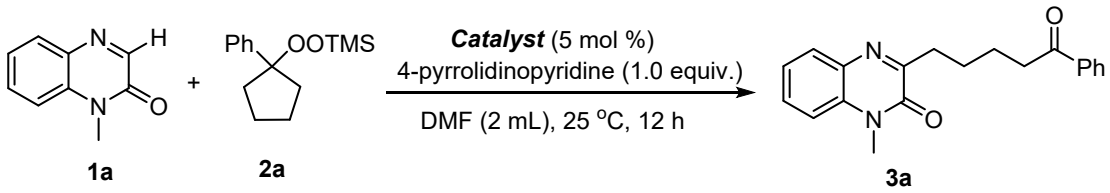


1a **2a** **3a**

Entry	Base	Yield (%)[b]
1	None	Trace
2	DMAP	78
3	DABCO	10
4	K_2CO_3	49
5	Cs_2CO_3	64
6	4-cyanopyridine	46
7	4-methylpyridine	57
8	4-methoxypyridine	61
9	**4-pyrrolidinopyridine**	**83**
10	4-phenylpyridine	59

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), 5 mol % of CuI, base (1.0 equiv.), DMF (2.0 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Catalyst

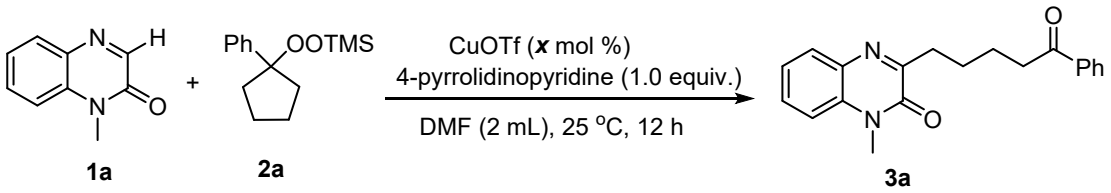


1a **2a** **3a**

Entry	Catalyst	Yield (%)[b]
1	CuI	83
2	CuBr	70
3	CuCl	81
4	CuSCN	75
5	$CuBr_2$	80
6	$Cu(acac)_2$	82
7	$Cu(OAc)_2$	63
8	$Cu(OTf)_2$	81
9	**CuOTf**	**86**
10	CuO	37
11	Cu	71
12	$CuSO_4 \cdot 5H_2O$	75
13	$Fe(OTf)_2$	57
14	$Fe(OTf)_3$	63

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), 4-pyrrolidinopyridine (1.0 equiv.), 5 mol % of catalyst, DMF (2.0 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Loading of catalyst[a]

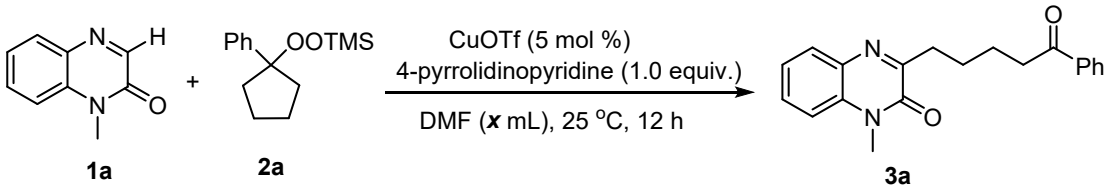


1a **2a** **3a**

Entry	Loading (x mol %)	Yield (%)[b]
1	2	82
2	**5**	**86**
3	10	78

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), x mol % of CuOTf, 4-pyrrolidinopyridine (1.0 equiv.), DMF (2.0 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Concentration[a]

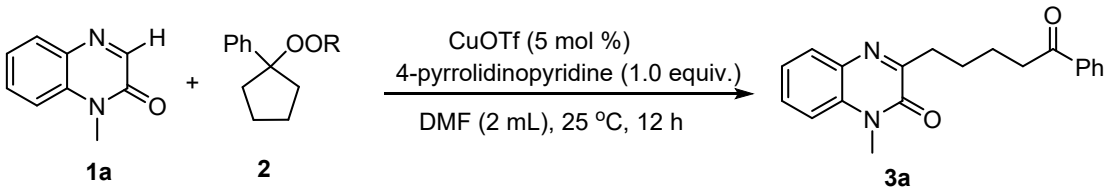


1a **2a** **3a**

Entry	Concentration (x mL)	Yield (%)[b]
1	1	77
2	**2**	**86**
3	3	38

[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.3 mmol, 1.5 equiv.), 5 mol % of CuOTf, 4-pyrrolidinopyridine (1.0 equiv.), DMF (x mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Substitution group[a]

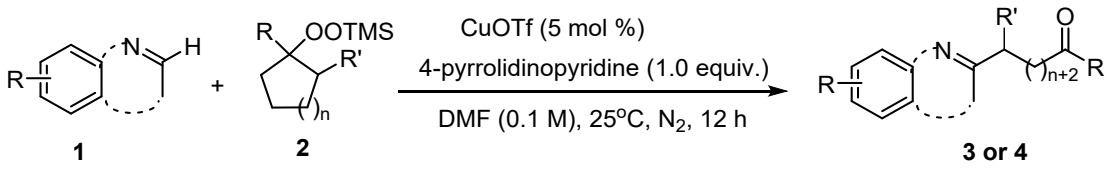


1a **2** **3a**

Entry	Substitution group	Yield (%)[b]
1	R = H	70
2	**R = $SiMe_3$**	**86**

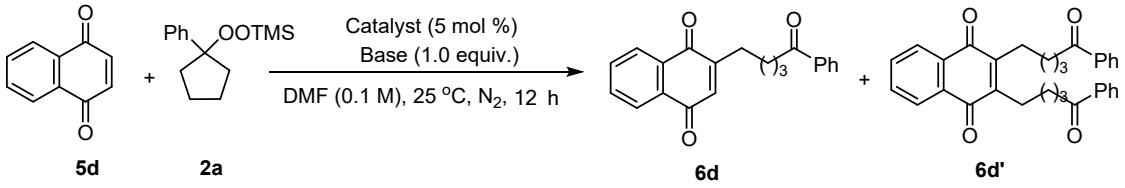
[a]Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2** (0.3 mmol, 1.5 equiv.), 5 mol % of CuOTf, 4-pyrrolidinopyridine (1.0 equiv.), DMF (2 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product.

Representative Procedure for the Reaction of Quinoxaline-2(1*H*)-ones 1 with Cycloalkyl Silyl Peroxides 2



An oven-dried 10 mL Schlenk-tube equipped with a magnetic stir bar was charged with quinoxalin-2(1*H*)-ones **1** (0.2 mmol, 1.0 equiv.), CuOTf (5 mol %, 2.2 mg) and 4-pyrrolidinopyridine (0.2 mmol, 1.0 equiv., 29.6 mg). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of cycloalkyl silyl peroxides **2** (0.3 mmol, 1.5 equiv.) in DMF (2 mL) were injected into the tube by syringe under nitrogen atmosphere. The tube was then sealed and the mixture was stirred at 25 °C for 12 h. After that, the resulting mixture was diluted with EtOAc. And the organic phase was washed with H_2O (3 x 10 mL) and brine (10 mL) the dried (Na_2SO_4) and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (gradient eluent of petroleum ether/EtOAc = 10:1 to 2/1) to give the desired product **3** and **4** in isolated yields.

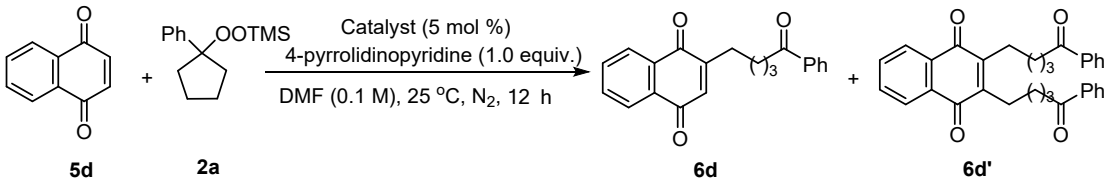
General Procedure for the Reaction of Naphthoquinone 5d with Cyclopentyl Silyl Peroxide 2a



5d **2a** **6d** **6d'**

An oven-dried 10 mL Schlenk-tube equipped with a magnetic stir bar was charged with naphthoquinone **5d** (0.2 mmol, 1.0 equiv.), catalyst (5 mol %) and base (1.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of cyclopentyl silyl peroxide **2a** (0.3 mmol, 1.5 equiv.) in DMF (2 mL) were injected into the tube by syringe under nitrogen atmosphere. The tube was then sealed and the mixture was stirred at 25 °C for 12 h. After that, the resulting mixture was diluted with EtOAc. And the organic phase was washed with H_2O (3 x 10 mL) and brine (10 mL) the dried (Na_2SO_4) and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (gradient eluent of petroleum ether/EtOAc = 8:1) to give the desired product **6d** and **6d'**. The results are summarized as following.

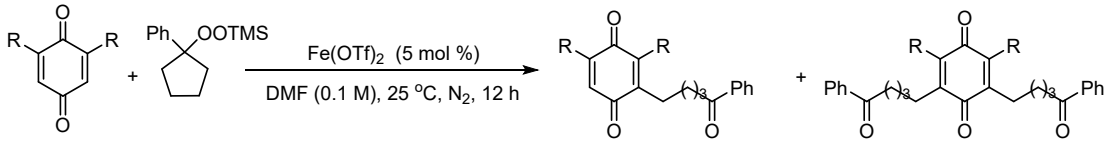
Table S2. Optimization of the Reaction of Quinones 5 with Cyclopentyl Silyl Peroxide 2a[a]



5d **2a** **6d** **6d'**

Entry	Catalyst	Base	Ratio (**5d : 2a**)	Yield (%)[b]
1	CuOTf	4-pyrrolidinopyridine	1 : 1.5	17/31
2	CuOTf	4-pyrrolidinopyridine	1 : 3	0/46
3	$Fe(OTf)_2$	-	1 : 1.5	45/47
4	$Fe(OTf)_2$	-	1 : 3	32/55

[a]Reaction conditions: **5d** (0.2 mmol, 1.0 equiv.), **5d** : **2a** = 1 : x , 5 mol % of catalyst, 4-pyrrolidinopyridine (1.0 equiv.), DMF (2 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product **6d** and **6d'**.

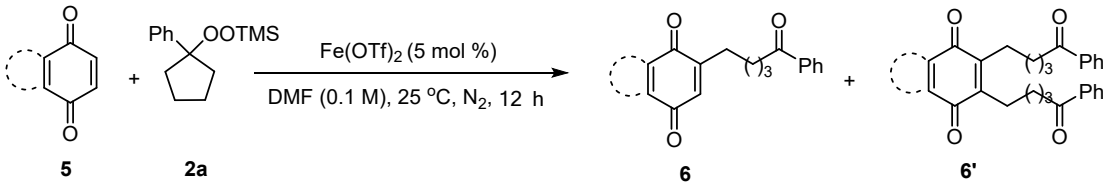


5 **2a** **6** **6'**

Entry	Ratio (**5 : 2a**)	Yield (%)[b]
1	1 : 1.5	28/50
2	1.5 : 1	42/14
3[c]	1.5 : 1	47/12

[a]Reaction conditions: **5f** (0.2 mmol, 1.0 equiv.), **5f** : **2a** = 1 : x , 5 mol % of $Fe(OTf)_2$, DMF (2 mL), 25 °C, for 12 h, under N_2. [b]Yields of isolated product **6** and **6'**. [c]Reaction conditions: **5e** (0.3 mmol, 1.5 equiv.), **5e** : **2a** = 1.5 : 1.

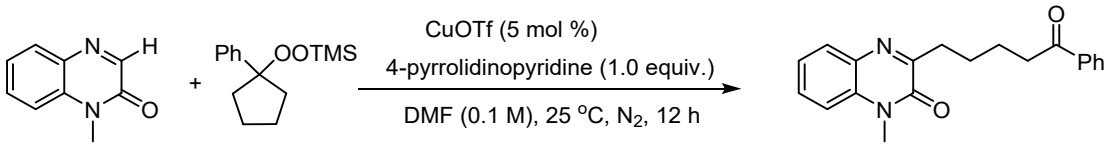
Representative Procedure for the Reaction of Quinones 5 with Cycloalkyl Silyl Peroxides 2



5 2a 6 6'

An oven-dried 10 mL Schlenk-tube equipped with a magnetic stir bar was charged with quinones **5** (0.2 mmol, 1.0 equiv.), $Fe(OTf)_2$ (5 mol %, 3.5mg). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of cyclopentyl silyl peroxide **2a** (0.3 mmol, 1.5 equiv.) in DMF (2 mL) were injected into the tube by syringe under nitrogen atmosphere. The tube was then sealed and the mixture was stirred at 25 °C for 12 h. After that, the resulting mixture was diluted with EtOAc. And the organic phase was washed with H_2O (3 x 10 mL) and brine (10 mL) the dried (Na_2SO_4) and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (gradient eluent of petroleum ether/EtOAc = 15:1 to 5:1) to give the desired product **6** and **6'** in isolated yields.

Larger Scale Reaction of Quinoxaline-2(1*H*)-one 1a with Cyclopentyl Silyl Peroxide 2a



1a
2 mmol, 0.32 g

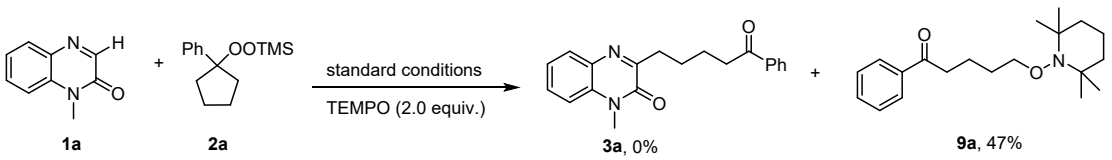
2a
3 mmol, 0.75 g

3a
0.53 g, 84%

An 100 mL oven-dried sealed tube equipped with a magnetic stir bar was charged with quinoxalin-2(1*H*)-one **1a** (2 mmol, 1.0 equiv., 0.32 g), CuOTf (5 mol %, 22 mg) and 4-pyrrolidinopyridine (2 mmol, 1.0 equiv., 296 mg). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of cyclopentyl silyl peroxide **2a** (3 mmol, 1.5 equiv., 0.75 g) in DMF (20 mL) were injected into the tube by syringe under nitrogen atmosphere. The tube was then sealed and the mixture was stirred at 25 °C for 12 h. After that, the resulting mixture was diluted with EtOAc. And the organic phase was washed with H_2O (3 x 10 mL) and brine (10 mL) the dried (Na_2SO_4) and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel (gradient eluent of petroleum ether/EtOAc = 5:1) to give the product **3a** (0.53 g, 84%).

Investigation of the Reaction Mechanism

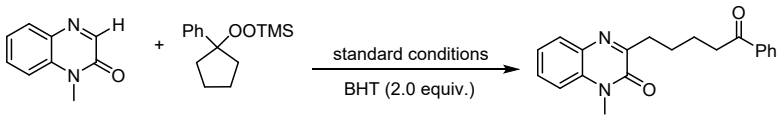
Radical Trapping Experiments



1a **2a** **3a**, 0% **9a**, 47%

When 2.0 equiv. of TEMPO was added to the reaction under the standard conditions, no product **3a** was detected. Meanwhile, the TEMPO-adduct **9a**[2] was isolated in 47% yield and 98% of the raw material quinoxalin-2(1*H*)-one **1a** was recovered. This result indicates that radical intermediate was probably involved in this transformation.

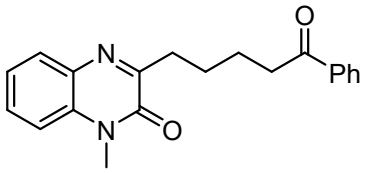
Radical Inhibition Experiments



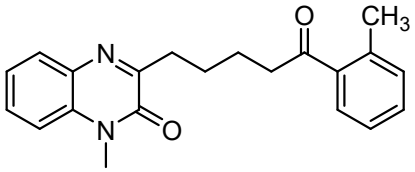
1a **2a** **3a**, 21%

When 2.0 equiv. of BHT was added to the reaction under the standard conditions, the yield of **3a** was reduced to 21% yield and 47% of the raw material quinoxalin-2(1*H*)-one **1a** was recovered. This result indicates that the reaction might proceed via a radical pathway.

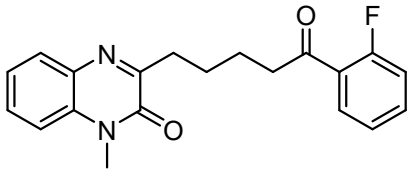
Characterization of Products 3, 4 and 6 - 8



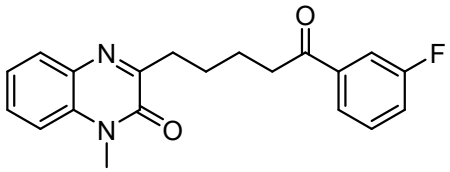
1-Methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (3a): (known compound)[3] yellow liquid (55.1 mg, 86%). R_f = 0.56 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.95 (m, 2H), 7.81 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.56 – 7.50 (m, 2H), 7.47 – 7.43 (m, 2H), 7.35 – 7.29 (m, 2H), 3.70 (s, 3H), 3.06 (t, *J* = 7.2 Hz, 2H), 3.01 (t, *J* = 7.2 Hz, 2H), 1.92 – 1.90 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.3, 160.7, 154.9, 137.0, 133.1, 132.9, 132.7, 129.7, 129.6, 128.5, 128.1, 123.6, 113.6, 38.5, 33.9, 29.1, 26.3, 24.1 ppm.



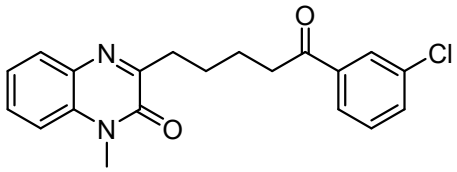
1-Methyl-3-(5-oxo-5-(o-tolyl)pentyl)quinoxalin-2(1*H*)-one (3b): yellow oil (52.1 mg, 78%), R_f = 0.17 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.0 Hz, 1H), 7.55 (d, *J* = 7.2 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.28 – 7.20 (m, 3H), 7.16 (t, *J* = 8.0 Hz, 2H), 3.61 (s, 3H), 2.93 – 2.89 (m, 4H), 2.40 (s, 3H), 1.82 – 1.77 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$)) δ 203.5, 159.6, 153.8, 137.1, 136.8, 132.0, 131.6, 130.8, 130.0, 128.61, 128.57, 127.3, 124.6, 122.5, 112.5, 40.4, 32.9, 28.0, 25.2, 23.2, 20.2 ppm; IR (neat): υ_{max} 2961, 2378, 2312, 1653, 1601, 1515, 1465, 1415, 1257, 1049, 754 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{22}N_2O_2Na$ $[M+Na]^+$ 357.1573, found 357.1576.



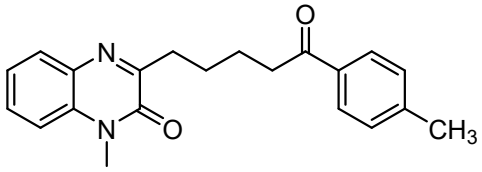
3-(5-(2-Fluorophenyl)-5-oxopentyl)-1-methylquinoxalin-2(1*H*)-one (3c): white solid (60.1 mg, 89%), m.p. 85 – 86 °C. R_f = 0.17 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 – 7.72 (m, 2H), 7.46 – 7.39 (m, 2H), 7.27 – 7.20 (m, 2H), 7.15 – 7.11 (m, 1H), 7.06 – 7.01 (m, 1H), 3.62 (s, 3H), 3.02 – 2.95 (m, 2H), 2.92 (t, *J* = 6.8 Hz, 2H), 1.84 – 1.80 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.6 (d, *J* = 4.1 Hz), 160.8 (d, *J* = 253.0 Hz), 159.6, 153.8, 133.2 (d, *J* = 8.9 Hz), 132.0, 131.6, 129.6 (d, *J* = 2.7 Hz), 128.6 (d, *J* = 6.9 Hz), 124.8 (d, *J* = 13.2 Hz), 123.3 (d, *J* = 3.4 Hz), 122.5, 115.7, 115.5, 112.5, 42.4 (d, *J* = 7.0 Hz), 32.9, 28.0, 25.1, 22.8 (d, *J* = 2.0 Hz) ppm; IR (neat): υ_{max} 2935, 2314, 1684, 1652, 1603, 1412, 1271, 1209, 1098, 757, 637 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}FN_2O_2$ $[M+H]^+$ 339.1503, found 339.1506.



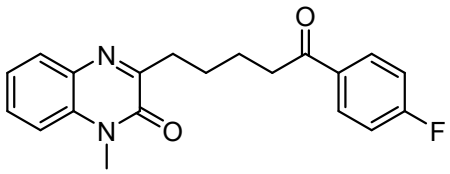
3-(5-(3-Fluorophenyl)-5-oxopentyl)-1-methylquinoxalin-2(1*H*)-one (3d): white solid (61.8 mg, 91%), m.p. 95 – 96 °C. R_f = 0.15 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.57 – 7.54 (m, 1H), 7.46 – 7.42 (m, 1H), 7.37 – 7.32 (m, 1H), 7.27 – 7.13 (m, 3H), 3.61 (s, 3H), 3.04 – 2.86 (m, 4H), 1.85 – 1.77 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.8 (d, *J* = 2.1 Hz), 161.8 (d, *J* = 247.8 Hz), 159.5, 153.8, 138.0 (d, *J* = 6.0 Hz), 132.0, 131.6, 129.2 (d, *J* = 7.6 Hz), 128.6, 122.8 (d, *J* = 3.0 Hz), 122.5, 118.8 (d, *J* = 21.5 Hz), 113.7 (d, *J* = 22.1 Hz), 112.5, 37.5, 32.8, 28.0, 25.1, 22.9 ppm; IR (neat): υ_{max} 2932, 2314, 1687, 1652, 1595, 1470, 1444, 1311, 1251, 1163, 1038, 878, 796, 755 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{19}FN_2O_2Na$ $[M+Na]^+$ 361.1323, found 361.1326.



3-(5-(3-Chlorophenyl)-5-oxopentyl)-1-methylquinoxalin-2(1*H*)-one (3e): yellow solid (45.6 mg, 64%), m.p. 94 – 95 °C. R_f = 0.23 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 – 7.84 (m, 1H), 7.77 – 7.73 (m, 2H), 7.47 – 7.43 (m, 2H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.28 – 7.21 (m, 2H), 3.62 (s, 3H), 2.99 – 2.90 (m, 4H), 1.86 – 1.78 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.8, 159.5, 153.9, 137.5, 133.9, 132.1, 131.8, 131.7, 128.9, 128.64, 128.61, 127.2, 125.1, 122.5, 112.5, 37.5, 32.8, 28.0, 25.1, 22.9 ppm; IR (neat): υ_{max} 2953, 2378, 2313, 1651, 1598, 1467, 1415, 1259, 1209, 1033, 799, 754 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{19}ClN_2O_2Na$ $[M+Na]^+$ 377.1027, found 377.1035.

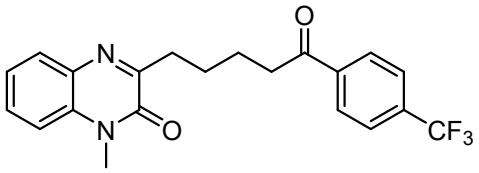


1-methyl-3-(5-Oxo-5-(p-tolyl)pentyl)quinoxalin-2(1*H*)-one (3f): white solid (56.8 mg, 85%), m.p. 65 – 66 °C. R_f = 0.20 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.4 Hz, 2H), 7.75 – 7.73 (m, 1H), 7.47 – 7.43 (m, 1H), 7.28 – 7.21 (m, 2H), 7.19 – 7.16 (m, 2H), 3.62 (s, 3H), 2.98 – 2.92 (m, 4H), 2.33 (s, 3H), 1.86 – 1.78 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.9, 159.7, 153.8, 142.6, 133.5, 132.0, 131.6, 128.61, 128.57, 128.2, 127.2, 122.5, 112.5, 37.3, 32.9, 28.0, 25.3, 23.2, 20.6 ppm; IR (neat): υ_{max} 2963, 2900, 2378, 2313, 1653, 1603, 1515, 1464, 1413, 1258, 1175, 1048, 804, 755, 634 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{23}N_2O_2$ $[M+H]^+$ 335.1754, found 335.1759.

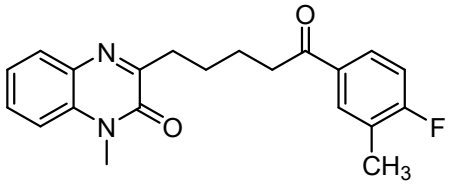


3-(5-(4-Fluorophenyl)-5-oxopentyl)-1-methylquinoxalin-2(1*H*)-one (3g): yellow oil (54.4 mg, 80%), R_f = 0.15 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.90 (m, 2H), 7.74 (d, *J* = 7.6 Hz, 1H), 7.48 – 7.44 (m, 1H), 7.28 – 7.20 (m, 2H), 7.06 – 7.01 (m, 2H), 3.63 (s, 3H), 3.00 – 2.91 (m, 4H), 1.86 – 1.81 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.6, 164.6 (d, *J* = 254.2 Hz), 159.6, 153.9, 132.4 (d, *J* = 2.4 Hz), 132.0, 131.6, 129.7 (d, *J* = 9.2 Hz), 129.5, 128.6 (d, *J* = 3.4 Hz), 122.6, 114.6 (d, *J* = 21.8 Hz), 112.6, 37.3, 32.8, 28.0, 25.2, 23.0 ppm; IR (neat): υ_{max} 2960, 2378, 2313,

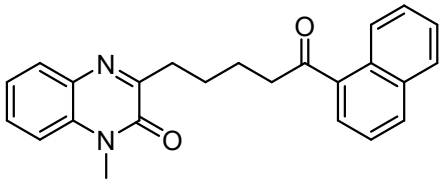
1652, 1598, 1508, 1466, 1412, 1310, 1228, 1157, 1047, 802, 755 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}FN_2O_2$ $[M+H]^+$ 339.1503, found 339.1507.



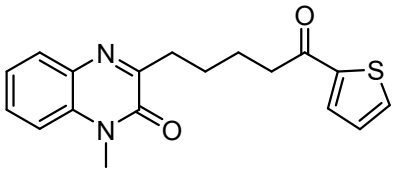
1-Methyl-3-(5-oxo-5-(4-(trifluoromethyl)phenyl)pentyl)quinoxalin-2(1*H*)-one (3h): white solid (63.9 mg, 82%), m.p. 87 – 88 ºC. R_f = 0.18 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.0 Hz, 2H), 7.73 (d, *J* = 7.6 Hz, 1H), 7.64 (d, *J* = 8.4 Hz, 2H), 7.47 – 7.43 (m, 1H), 7.28 – 7.19 (m, 2H), 3.62 (s, 3H), 3.01 (t, *J* = 6.8 Hz, 2H), 2.94 (t, *J* = 6.8 Hz, 2H), 1.87 – 1.82 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.1, 159.5, 153.8, 138.6, 133.2 (q, *J* = 32.8 Hz), 132.0, 131.6, 128.7, 128.6, 127.4, 125.3 (q, *J* = 271.0 Hz), 124.6 (q, *J* = 3.8 Hz), 122.6, 112.6, 37.7, 32.8, 28.0, 25.1, 22.8 ppm; IR (neat): υ_{max} 2945, 2378, 2313, 1691, 1653, 1600, 1512, 1468, 1411, 1324, 1261, 1168, 1126, 1065, 801, 756 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{20}F_3N_2O_2$ $[M+H]^+$ 389.1471, found 389.1476.



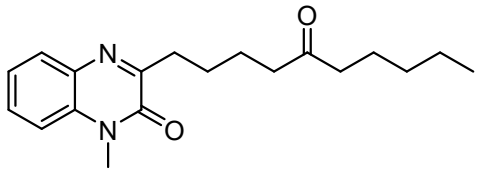
3-(5-(4-Fluoro-3-methylphenyl)-5-oxopentyl)-1-methylquinoxalin-2(1*H*)-one (3i): colorless oil (56.7 mg, 80%), R_f = 0.14 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 – 7.70 (m, 3H), 7.47 – 7.43 (m, 1H), 7.28 – 7.20 (m, 2H), 6.97 (t, *J* = 8.8 Hz, 1H), 3.62 (s, 3H), 2.96 – 2.91 (m, 4H), 2.24 (s, 3H), 1.87 – 1.77 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.9, 163.2 (d, *J* = 253.1 Hz), 159.6, 153.9, 132.1 (d, *J* = 6.0 Hz), 132.0, 131.6, 130.9 (d, *J* = 6.6 Hz), 128.6, 127.0 (d, *J* = 9.2 Hz), 124.2 (d, *J* = 17.7 Hz), 122.5, 114.1 (d, *J* = 22.9 Hz), 112.6, 37.3, 32.9, 28.0, 25.2, 23.1, 13.6 (d, *J* = 3.6 Hz) ppm; IR (neat): υ_{max} 2962, 2378, 2314, 1652, 1599, 1508, 1465, 1413, 1311, 1253, 1157, 1049, 802, 756 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{21}FN_2O_2Na$ $[M+Na]^+$ 375.1479, found 375.1482.



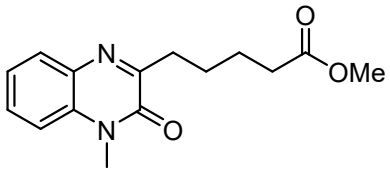
1-Methyl-3-(5-(naphthalen-1-yl)-5-oxopentyl)quinoxalin-2(1*H*)-one (3j): yellow oil (56.5 mg, 76%), R_f = 0.19 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.78 (d, *J* = 7.2 Hz, 2H), 7.72 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.49 – 7.38 (m, 4H), 7.26 – 7.20 (m, 2H), 3.60 (s, 3H), 3.07 (t, *J* = 6.4 Hz, 2H), 2.93 (t, *J* = 6.8 Hz, 2H), 1.89 – 1.85 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.7, 159.6, 153.8, 135.2, 132.9, 132.0, 131.7, 131.3, 129.1, 128.62, 128.56, 127.3, 126.7, 126.2, 125.3, 124.8, 123.3, 122.5, 112.5, 41.0, 32.9, 28.0, 25.2, 23.5 ppm; IR (neat): υ_{max} 2962, 2378, 2313, 1652, 1599, 1511, 1465, 1414, 1261, 1041, 868, 799 cm^{-1}; HRMS (ESI) calcd for $C_{24}H_{22}N_2O_2Na$ $[M+Na]^+$ 393.1573, found 393.1578.



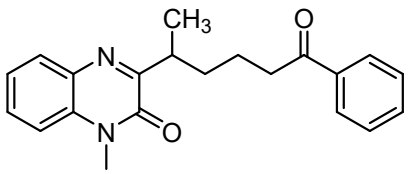
1-Methyl-3-(5-oxo-5-(thiophen-2-yl)pentyl)quinoxalin-2(1*H*)-one (3k): white solid (51.4 mg, 79%), m.p. 119 – 120 °C. R_f = 0.19 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 7.6 Hz, 1H), 7.65 (d, *J* = 2.8 Hz, 1H), 7.54 (d, *J* = 4.4 Hz, 1H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.28 – 7.21 (m, 2H), 7.05 – 7.03 (m, 1H), 3.63 (s, 3H), 2.94 – 2.91 (m, 4H), 1.85 – 1.83 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.2, 159.5, 153.8, 143.4, 132.3, 132.1, 131.7, 130.7, 128.63, 128.60, 127.0, 122.5, 112.5, 38.2, 32.8, 28.0, 25.2, 23.5 ppm; IR (neat): υ_{max} 2966, 2899, 2377, 2312, 1744, 1653, 1601, 1515, 1465, 1414, 1257, 1049, 891, 802, 752 cm^{-1}; HRMS (ESI) calcd for $C_{18}H_{18}N_2O_2SNa$ $[M+Na]^+$ 349.0981, found 349.0986.



1-Methyl-3-(5-oxodecyl)quinoxalin-2(1*H*)-one (3l): white solid (34.9 mg, 56%), m.p. 47 – 48 °C. R_f = 0.25 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 – 7.73 (m, 1H), 7.47 – 7.43 (m, 1H), 7.28 – 7.21 (m, 2H), 3.63 (s, 3H), 2.88 (t, *J* = 7.2 Hz, 2H), 2.41 (t, *J* = 7.2 Hz, 2H), 2.33 (t, *J* = 7.2 Hz, 2H), 1.78 – 1.71 (m, 2H), 1.69 – 1.60 (m, 2H), 1.56 – 1.44 (m, 2H), 1.28 – 1.15 (m, 4H), 0.81 (t, *J* = 6.0 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 211.4, 160.6, 154.9, 133.1, 132.7, 129.63, 129.61, 123.6, 113.6, 42.8, 42.6, 33.9, 31.4, 29.0, 26.2, 23.63, 23.57, 22.5, 13.9 ppm; IR (neat): υ_{max} 2932, 2315, 1653, 1600, 1466, 1414, 1260, 1167, 1045, 799, 754 cm^{-1}; HRMS (ESI) calcd for $C_{19}H_{26}N_2O_2Na$ $[M+Na]^+$ 337.1886, found 337.1890.

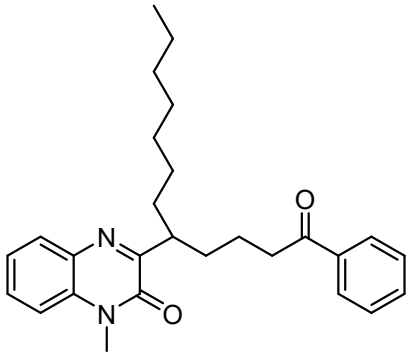


Methyl 5-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)pentanoate (3m): (known compound)[4] white solid (48.7 mg, 89%), m.p. 103 – 104 °C. R_f = 0.19 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.48 – 7.43 (m, 1H), 7.29 – 7.20 (m, 2H), 3.63 (s, 3H), 3.59 (s, 3H), 2.89 (t, *J* = 6.8 Hz, 2H), 2.33 (t, *J* = 7.6 Hz, 2H), 1.83 – 1.68 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 173.0, 159.5, 153.8, 132.0, 131.6, 128.6, 122.5, 112.5, 50.5, 32.9, 32.7, 28.0, 25.1, 23.8 ppm.

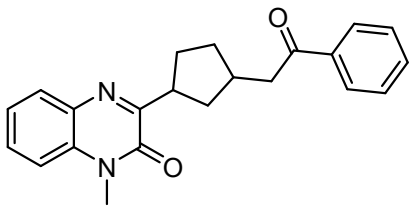


1-Methyl-3-(6-oxo-6-phenylhexan-2-yl)quinoxalin-2(1*H*)-one (3n): white solid (56.6 mg, 85%), m.p. 97 – 98 °C. R_f = 0.14 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85

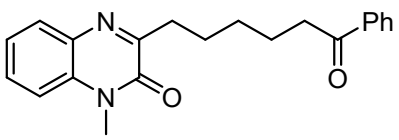
(d, J = 8.0 Hz, 2H), 7.74 (d, J = 7.6 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.37 – 7.32 (m, 2H), 7.25 – 7.18 (m, 2H), 3.65 – 3.59 (m, 3H), 3.54 – 3.49 (m, 1H), 2.93 (t, J = 7.2 Hz, 2H), 2.00 – 1.90 (m, 1H), 1.80 – 1.67 (m, 2H), 1.65 – 1.57 (m, 1H), 1.23 (dd, J = 6.8, 0.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.3, 163.0, 153.6, 136.0, 131.83, 131.79, 131.7, 128.8, 128.5, 127.5, 127.0, 122.4, 112.4, 37.6, 35.0, 33.1, 28.0, 21.2, 17.4 ppm; IR (neat): υ_{max} 2963, 2378, 2312, 1746, 1650, 1597, 1516, 1460, 1313, 1259, 1029, 801, 753, 692 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{22}N_2O_2Na$ $[M+Na]^+$ 357.1573, found 357.1576.



1-Methyl-3-(1-oxo-1-phenyldodecan-5-yl)quinoxalin-2(1*H*)-one (3o): colorless oil (68.2 mg, 81%), R_f = 0.43 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 2H), 7.76 (d, J = 8.0 Hz, 1H), 7.47 – 7.43 (m, 2H), 7.36 – 7.33 (m, 2H), 7.28 – 7.21 (m, 2H), 3.63 (s, 3H), 3.49 – 3.45 (m, 1H), 2.98 – 2.82 (m, 2H), 1.95 – 1.88 (m, 1H), 1.84 – 1.77 (m, 1H), 1.71 – 1.58 (m, 4H), 1.21 – 1.12 (m, 10H), 0.79 – 0.75 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.4, 162.6, 153.9, 136.0, 131.8, 128.8, 128.5, 127.5, 127.0, 122.4, 112.5, 40.4, 37.7, 32.5, 31.7, 30.8, 28.8, 28.2, 28.1, 26.5, 21.6, 21.3, 13.1 ppm; IR (neat): υ_{max} 2926, 2378, 2314, 1744, 1686, 1652, 1600, 1515, 1462, 1417, 1261, 1051, 896, 800, 754, 693, 637 cm^{-1}; HRMS (ESI) calcd for $C_{27}H_{35}N_2O_2$ $[M+H]^+$ 419.2693, found 419.2695.

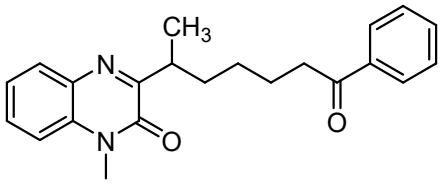


1-Methyl-3-(3-(2-oxo-2-phenylethyl)cyclopentyl)quinoxalin-2(1*H*)-one (3p): colorless oil (59.4 mg, 86%, d.r. = 1 : 1), R_f = 0.25 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 – 7.88 (m, 2H), 7.74 – 7.71 (m, 1H), 7.49 – 7.36 (m, 4H), 7.26 – 7.19 (m, 2H), 3.80 – 3.73 (m, 1H), 3.61 (s, 3H), 3.09 – 3.00 (m, 2H), 2.70 – 2.65 (m, 0.54H), 2.63 – 2.57 (m, 0.49H), 2.27 – 2.19 (m, 1H), 2.11 – 1.92 (m, 3H), 1.75 – 1.64 (m, 1H), 1.46 – 1.40 (m, 0.49H), 1.36 – 1.29 (m, 0.52H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 199.1, 162.2, 162.1, 153.9, 136.2, 131.8, 128.8, 128.7, 128.40, 128.37, 127.5, 127.11, 127.07, 122.40, 122.38, 112.5, 112.4, 43.8, 43.6, 41.4, 40.5, 36.3, 35.8, 35.3, 34.2, 32.3, 31.1, 29.3, 28.9, 28.0 ppm; IR (neat): υ_{max} 2949, 2313, 1653, 1597,1513, 1463, 1376, 1262, 1212, 1041, 800, 754, 693 cm^{-1}; HRMS (ESI) calcd for $C_{22}H_{22}N_2O_2Na$ $[M+Na]^+$ 369.1573, found 369.1578.

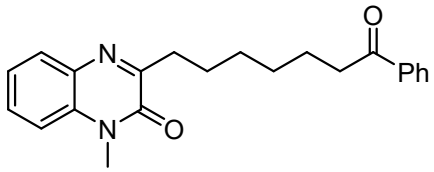


1-Methyl-3-(6-oxo-6-phenylhexyl)quinoxalin-2(1*H*)-one (3q): yellow solid (47.3 mg, 71%), m.p. 63 – 64 °C. R_f = 0.13 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87

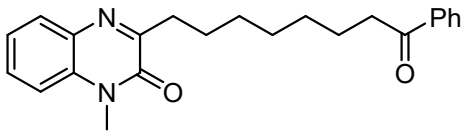
(m, 2H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.52 – 7.43 (m, 2H), 7.38 (t, *J* = 7.6 Hz, 2H), 7.28 – 7.21 (m, 2H), 3.63 (s, 3H), 2.95 – 2.88 (m, 4H), 1.84 – 1.71 (m, 4H), 1.54 – 1.42 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.4, 160.0, 153.9, 136.0, 132.1, 131.8, 131.7, 128.6, 128.5, 127.5, 127.0, 122.5, 112.5, 37.5, 33.2, 28.2, 28.0, 25.6, 23.2 ppm; IR (neat): υ_{max} 2933, 2378, 2350, 2314, 1684, 1652, 1599, 1515, 1465, 1415, 1315, 1260, 1048, 800, 754, 694 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{22}N_2O_2Na$ $[M+Na]^+$ 357.1573, found 357.1576.



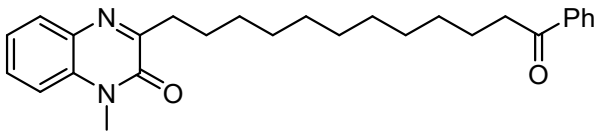
1-Methyl-3-(7-oxo-7-phenylheptan-2-yl)quinoxalin-2(1*H*)-one (3r): white solid (61.4 mg, 88%), m.p. 109 – 110 °C. R_f = 0.30 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 – 7.82 (m, 2H), 7.75 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.49 – 7.41 (m, 2H), 7.39 – 7.33 (m, 2H), 7.29 – 7.20 (m, 2H), 3.62 (s, 3H), 3.47 (q, *J* = 6.8 Hz, 1H), 2.91 – 2.87 (m, 2H), 1.94 – 1.84 (m, 1H), 1.73 – 1.66 (m, 2H), 1.59 – 1.49 (m, 1H), 1.44 – 1.31 (m, 2H), 1.22 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.4, 163.4, 153.6, 136.0, 131.9, 131.8, 131.7, 128.8, 128.5, 127.5, 127.0, 122.4, 112.5, 37.5, 35.0, 33.3, 28.1, 26.3, 23.4, 17.3 ppm; IR (neat): υ_{max} 2934, 2378, 2313, 1652, 1598, 1515, 1462, 1315, 1259, 1060, 800, 754, 693 cm^{-1}; HRMS (ESI) calcd for $C_{22}H_{24}N_2O_2Na$ $[M+Na]^+$ 371.1730, found 371.1732.



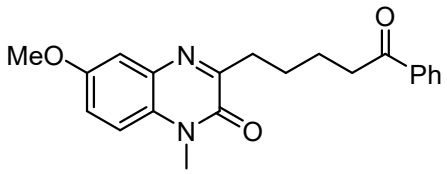
1-Methyl-3-(7-oxo-7-phenylheptyl)quinoxalin-2(1*H*)-one (3s): yellow solid (64.9 mg, 93%), m.p. 85 – 86 °C. R_f = 0.17 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 – 7.85 (m, 2H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.43 (m, 2H), 7.41 – 7.34 (m, 2H), 7.26 – 7.19 (m, 2H), 3.61 (s, 3H), 2.90 – 2.84 (m, 4H), 1.79 – 1.61 (m, 4H), 1.46 – 1.40 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.5, 160.1, 153.8, 136.0, 132.0, 131.8, 131.7, 128.6, 128.5, 127.5, 127.0, 122.5, 112.5, 37.5, 33.2, 28.3, 28.1, 28.0, 25.6, 23.2 ppm; IR (neat): υ_{max} 2930, 2314, 1682, 1653, 1599, 1466, 1413, 1313, 1259, 1214, 1092, 1038, 800, 753, 693 cm^{-1}; HRMS (ESI) calcd for $C_{22}H_{25}N_2O_2$ $[M+H]^+$ 349.1911, found 349.1914.



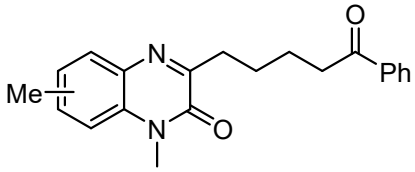
1-Methyl-3-(8-oxo-8-phenyloctyl)quinoxalin-2(1*H*)-one (3t): white solid (24.0 mg, 33%), m.p. 90 – 91 °C. R_f = 0.23 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.84 (m, 2H), 7.75 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.50 – 7.42 (m, 2H), 7.40 – 7.34 (m, 2H), 7.28 – 7.20 (m, 2H), 3.62 (s, 3H), 2.90 – 2.84 (m, 4H), 1.79 – 1.62 (m, 4H), 1.41 – 1.33 (m, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.6, 160.2, 153.9, 136.0, 132.0, 131.8, 131.7, 128.6, 128.5, 127.5, 127.0, 122.5, 112.5, 37.6, 33.3, 28.4, 28.3, 28.2, 28.0, 25.7, 23.3 ppm; IR (neat): υ_{max} 2928, 2853, 2377, 2313, 1746, 1648, 1597, 1524, 1465, 1412, 1308, 1260, 1218, 1169, 1063, 799, 751, 693 cm^{-1}; HRMS (ESI) calcd for $C_{23}H_{27}N_2O_2$ $[M+H]^+$ 363.2067, found 363.2071.



1-Methyl-3-(12-oxo-12-phenyldodecyl)quinoxalin-2(1*H*)-one (3u): white solid (61.9 mg, 74%), m.p. 92 – 93 °C. R_f = 0.26 (petroleum ether/ethyl acetate = 8:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 2H), 7.76 – 7.74 (m, 1H), 7.50 – 7.43 (m, 2H), 7.40 – 7.35 (m, 2H), 7.28 – 7.22 (m, 2H), 3.62 (s, 3H), 2.90 – 2.84 (m, 4H), 1.76 – 1.62 (m, 4H), 1.36 – 1.21 (m, 14H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.60, 160.33, 153.86, 136.03, 132.04, 131.80, 131.68, 128.55, 128.44, 127.49, 127.01, 122.47, 112.51, 37.61, 33.38, 28.57, 28.54, 28.49, 28.46, 28.438, 28.437, 28.35, 27.99, 25.83, 23.36 ppm; IR (neat): υ_{max} 2919, 2852, 2314, 1650, 1600, 1466, 1415, 1313, 1258, 1211, 1170, 1047, 799, 745 cm^{-1}; HRMS (ESI) calcd for $C_{27}H_{35}N_2O_2$ $[M+H]^+$ 419.2693, found 419.2695.

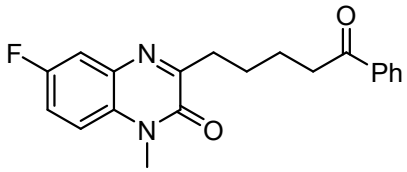


6-Methoxy-1-methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4a): white solid (70.1 mg, 88%), m.p. 90 – 91 °C. R_f = 0.18 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.95 (m, 2H), 7.57 – 7.52 (m, 1H), 7.48 – 7.42 (m, 2H), 7.30 (d, *J* = 2.8 Hz, 1H), 7.23 – 7.20 (m, 1H), 7.14 (dd, *J* = 9.2, 2.8 Hz, 1H), 3.88 (s, 3H), 3.69 (s, 3H), 3.06 (t, *J* = 6.8 Hz, 2H), 3.01 (t, *J* = 7.2 Hz, 2H), 1.94 – 1.90 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 160.2, 154.9, 153.5, 136.0, 132.4, 131.9, 127.5, 127.1, 126.3, 117.7, 113.5, 110.1, 54.7, 37.4, 33.0, 28.2, 25.3, 23.1 ppm; IR (neat): υ_{max} 2972, 2314, 1686, 1647, 1506, 1461, 1271, 1171, 1040, 864, 805, 753, 693, 629 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{22}N_2O_3Na$ $[M+Na]^+$ 373.1523, found 373.1521.

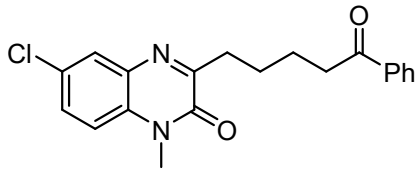


1,6/1,7-Dimethyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4b)[*b*]: white solid (48.8 mg, 93%), m.p. 97 – 98 °C. R_f = 0.22 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 8.0 Hz, 2H), 7.61 (d, *J* = 8.0 Hz, 0.62H), 7.54 (s, 0.41H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 2H), 7.26 (d, *J* = 8.4 Hz, 0.41H), 7.09 (dd, *J* = 13.6, 8.4 Hz, 1H), 7.01 (s, 0.62H), 3.60 (s, 3H), 2.99 (t, *J* = 6.4 Hz, 2H), 2.95 – 2.87 (m, 2H), 2.43 (s, 1.80H), 2.37 (s, 1.19H), 1.84 – 1.81 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 159.5, 158.3, 154.0, 153.8, 139.2, 135.9, 132.3, 131.9, 131.8, 131.6, 129.84, 129.82, 129.7, 128.5, 128.3, 127.5, 127.0, 123.8, 112.7, 112.3, 37.4, 32.9, 32.8, 28.0, 27.9, 25.3, 25.2, 23.12, 23.09, 21.0, 19.6 ppm; IR (neat): υ_{max} 2930, 1681, 1651, 1611, 1505, 1456, 1367, 1308, 1262, 1217, 1096, 807, 749, 693 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{23}N_2O_2$ $[M+H]^+$ 335.1754, found 335.1763.

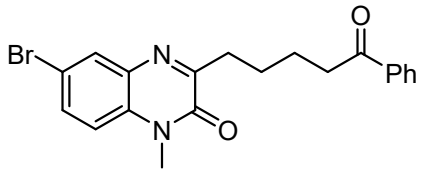
[*b*] An inseparable mixture of 6-methyl and 7-methylquinoxalin-2(1*H*)-ones was used as substrate.



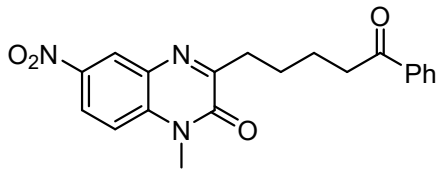
6-Fluoro-1-methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4c): yellow solid (57.6 mg, 85%), m.p. 109 – 110 °C. R_f = 0.23 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 2H), 7.49 – 7.43 (m, 1H), 7.42 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 2H), 7.22 – 7.17 (m, 2H), 3.61 (s, 3H), 2.98 (t, *J* = 6.8 Hz, 2H), 2.93 (t, *J* = 6.8 Hz, 2H), 1.87 – 1.81 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 161.2, 157.6 (d, *J* = 243.5 Hz), 153.4, 135.9, 132.2 (d, *J* = 11.2 Hz), 131.9, 128.7 (d, *J* = 2.2 Hz), 127.5, 127.0, 116.2 (d, *J* = 23.8 Hz), 114.1 (d, *J* = 22.4 Hz), 113.6 (d, *J* = 8.8 Hz), 37.3, 32.9, 28.3, 25.0, 23.0 ppm; IR (neat): υ_{max} 2963, 2378, 2313, 1653, 1506, 1460, 1265, 1163, 1054, 872, 805, 694 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}FN_2O_2$ $[M+H]^+$ 339.1503, found 339.1508.



6-Chloro-1-methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4d): white solid (70.9 mg, 81%), m.p. 113 – 114 °C. R_f = 0.45 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.95 (m, 2H), 7.79 (d, *J* = 2.4 Hz, 1H), 7.59 – 7.51 (m, 1H), 7.50 – 7.42 (m, 3H), 7.22 (d, *J* = 8.8 Hz, 1H), 3.67 (s, 3H), 3.06 (t, *J* = 7.2 Hz, 2H), 3.00 (t, *J* = 7.2 Hz, 2H), 1.95 – 1.89 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 161.0, 153.5, 135.9, 132.2, 131.9, 130.7, 128.5, 128.0, 127.8, 127.5, 127.0, 113.7, 37.4, 32.8, 28.2, 24.9, 23.0 ppm; IR (neat): υ_{max} 2933, 2314, 1656, 1595, 1457, 1418, 1223, 1101, 883, 807, 750, 692 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{19}ClN_2O_2Na$ $[M+Na]^+$ 377.1027, found 377.1025.

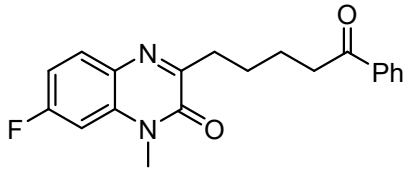


6-Bromo-1-methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4e): white solid (60.4 mg, 76%), m.p. 108 – 109 °C. R_f = 0.24 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 – 7.95 (m, 1H), 7.95 – 7.93 (m, 2H), 7.58 (dd, *J* = 8.8, 2.4 Hz, 1H), 7.54 – 7.53 (m, 1H), 7.46 – 7.43 (m, 2H), 7.15 (d, *J* = 8.8 Hz, 1H), 3.66 (s, 3H), 3.05 (t, *J* = 6.8 Hz, 2H), 2.99 (t, *J* = 7.2 Hz, 2H), 1.92 – 1.88 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 161.0, 153.5, 135.9, 132.5, 131.9, 131.2, 131.1, 131.0, 127.5, 127.0, 115.0, 114.0, 37.4, 32.8, 28.2, 24.9, 23.0 ppm; IR (neat): υ_{max} 2935, 1655, 1593, 1456, 1415, 1221, 1101, 884, 806, 748, 692 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}BrN_2O_2$ $[M+H]^+$ 399.0703, found 399.0708.

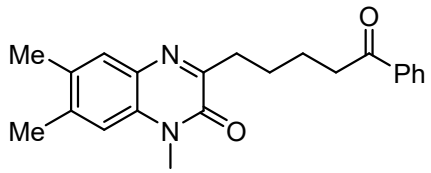


1-Methyl-6-nitro-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4f): yellow solid (49.8 mg,

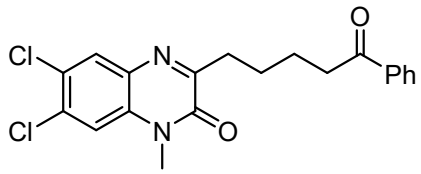
68%), m.p. 129 – 130 °C. R_f = 0.33 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.66 (d, *J* = 2.4 Hz, 1H), 8.35 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.97 – 7.95 (m, 2H), 7.57 – 7.53 (m, 1H), 7.47 – 7.43 (m, 2H), 7.39 (d, *J* = 9.2 Hz, 1H), 3.73 (s, 3H), 3.07 (t, *J* = 6.8 Hz, 2H), 3.02 (t, *J* = 7.2 Hz, 2H), 1.94 – 1.88 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.0, 162.3, 153.4, 142.2, 136.7, 135.9, 132.0, 130.8, 127.5, 127.0, 124.3, 123.1, 113.2, 37.3, 32.8, 28.6, 24.6, 22.8 ppm; IR (neat): υ_{max} 2932, 1670, 1607, 1520, 1457, 1414, 1341, 1299, 1220, 1080, 906, 826, 742, 693 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}N_3O_4$ $[M+H]^+$ 366.1448, found 366.1452.



7-Fluoro-1-methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4g): white solid (51.2 mg, 76%), m.p. 139 – 140 °C. R_f = 0.13 (petroleum ether/ethyl acetate = 6:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.91 (m, 2H), 7.77 (dd, *J* = 8.8, 6.0 Hz, 1H), 7.57 – 7.51 (m, 1H), 7.48 – 7.40 (m, 2H), 7.06 – 7.01 (m, 1H), 6.96 (dd, *J* = 10.0, 2.4 Hz, 1H), 3.64 (s, 3H), 3.05 (t, *J* = 6.8 Hz, 2H), 2.97 (t, *J* = 7.2 Hz, 2H), 1.93 – 1.85 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 161.9 (d, *J* = 249.6 Hz), 158.4 (d, *J* = 3.3 Hz), 153.7, 135.9, 133.4 (d, *J* = 11.5 Hz), 131.9, 130.5 (d, *J* = 10.4 Hz), 128.4 (d, *J* = 2.3 Hz), 127.5, 127.0, 110.2 (d, *J* = 23.3 Hz), 99.5 (d, *J* = 27.7 Hz), 37.4, 32.7, 28.3, 25.1, 23.0 ppm; IR (neat): υ_{max} 2945, 2314, 1613, 1455, 1408, 1358, 1311, 1257, 1209, 1085, 967, 870, 812, 753, 693 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}FN_2O_2$ $[M+H]^+$ 339.1503, found 339.1508.

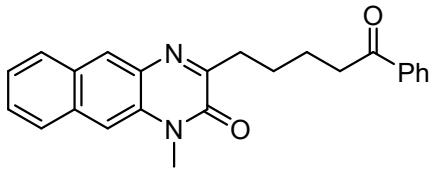


1,6,7-Trimethyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4h): white solid (59.8 mg, 86%), m.p. 108 – 109 °C. R_f = 0.17 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 – 7.87 (m, 2H), 7.48 – 7.45 (m, 2H), 7.39 – 7.35 (m, 2H), 6.97 (s, 1H), 3.59 (s, 3H), 2.98 (t, *J* = 6.8 Hz, 2H), 2.91 (t, *J* = 7.2 Hz, 2H), 2.32 (s, 3H), 2.26 (s, 3H), 1.84 – 1.81 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.3, 158.3, 153.9, 138.3, 135.9, 131.8, 131.4, 130.04, 130.02, 128.7, 127.5, 127.1, 113.1, 37.4, 32.8, 27.9, 25.3, 23.1, 19.5, 18.2 ppm; IR (neat): υ_{max} 2944, 2313, 1649, 1458, 1260, 1023, 801, 743, 694 cm^{-1}; HRMS (ESI) calcd for $C_{22}H_{25}N_2O_2$ $[M+H]^+$ 349.1911, found 349.1916.

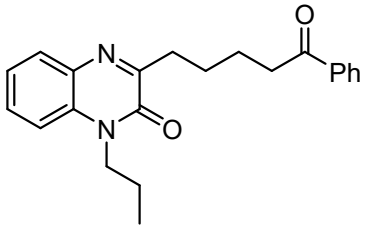


6,7-Dichloro-1-methyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4i): white solid (55.7 mg, 72%), m.p. 148 – 149 °C. R_f = 0.32 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.95 (m, 2H), 7.88 (s, 1H), 7.58 – 7.54 (m, 1H), 7.48 – 7.44 (m, 2H), 7.38 (s, 1H), 3.65 (s, 3H), 3.06 (t, *J* = 6.8 Hz, 2H), 2.99 (t, *J* = 7.2 Hz, 2H), 1.90 – 1.88 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 161.2, 153.3, 135.9, 132.6, 131.9, 131.4, 130.7, 129.5, 127.5, 127.0, 126.2, 114.0, 37.3, 32.8, 28.3, 24.8, 22.9 ppm; IR (neat): υ_{max} 2963, 2314, 1741, 1660, 1515, 1462, 1416, 1260, 1052, 886,

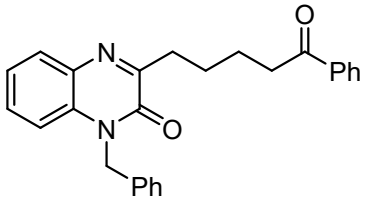
799, 754, 694, 635 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{19}Cl_2N_2O_2$ $[M+H]^+$ 389.0818, found 389.0825.



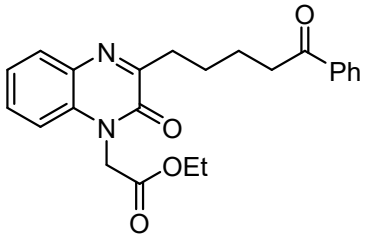
1-Methyl-3-(5-oxo-5-phenylpentyl)benzo[g]quinoxalin-2(1*H*)-one (4j): yellow solid (47.3 mg, 64%), m.p. 126 – 127 °C. R_f = 0.35 (petroleum ether/ethyl acetate = 4:1). ^1H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.91 – 7.86 (m, 3H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.45 (m, 3H), 7.41 – 7.35 (m, 3H), 3.66 (s, 3H), 3.00 (t, *J* = 7.2 Hz, 2H), 2.96 (t, *J* = 7.2 Hz, 2H), 1.89 – 1.81 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 160.1, 153.7, 135.9, 132.3, 131.9, 131.0, 130.7, 128.7, 127.6, 127.5, 127.3, 127.0, 126.6, 126.1, 124.2, 108.8, 37.4, 32.9, 28.0, 25.2, 23.1 ppm; IR (neat): υ_{max} 2944, 2314, 1661, 1460, 1411, 1365, 1263, 1215, 1090, 867, 800, 747, 693 cm^{-1}; HRMS (ESI) calcd for $C_{24}H_{23}N_2O_2$ $[M+H]^+$ 371.1754, found 371.1757.



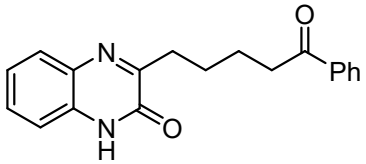
3-(5-Oxo-5-phenylpentyl)-1-propylquinoxalin-2(1*H*)-one (4k): colorless oil (64.2 mg, 92%), R_f = 0.12 (petroleum ether/ethyl acetate = 8:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.93 (m, 2H), 7.82 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.57 – 7.48 (m, 2H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.34 – 7.28 (m, 2H), 4.22 – 4.18 (m, 2H), 3.07 (t, *J* = 7.2 Hz, 2H), 3.01 (t, *J* = 7.2 Hz, 2H), 1.97 – 1.87 (m, 4H), 1.83 – 1.74 (m, 2H), 1.05 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 159.7, 153.6, 136.0, 131.92, 131.85, 131.2, 128.9, 128.5, 127.5, 127.1, 122.3, 112.6, 42.7, 37.4, 32.8, 25.3, 23.1, 19.6, 10.4 ppm; IR (neat): υ_{max} 2961, 2933, 1683, 1651, 1599, 1460, 1368, 1262, 1222, 1043, 753, 693 cm^{-1}; HRMS (ESI) calcd for $C_{22}H_{24}N_2O_2Na$ $[M+Na]^+$ 371.1730, found 371.1725.



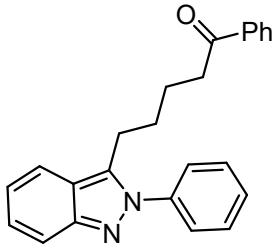
1-Benzyl-3-(5-oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4l): white solid (66.6 mg, 84%), m.p. 88 – 89 °C. R_f = 0.09 (petroleum ether/ethyl acetate = 8:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.85 (m, 2H), 7.73 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.49 – 7.42 (m, 1H), 7.39 – 7.33 (m, 2H), 7.32 – 7.27 (m, 1H), 7.25 – 7.18 (m, 3H), 7.18 – 7.13 (m, 4H), 5.40 (s, 2H), 3.06 – 2.88 (m, 4H), 1.89 – 1.84 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.2, 160.8, 155.0, 137.0, 135.3, 133.0, 132.9, 132.4, 129.8, 129.6, 128.9, 128.6, 128.1, 127.7, 126.9, 123.6, 114.4, 45.9, 38.5, 34.0, 26.3, 24.1 ppm; IR (neat): υ_{max} 2935, 1653, 1600, 1453, 1364, 1305, 1220, 1177, 803, 751, 695 cm^{-1}; HRMS (ESI) calcd for $C_{26}H_{24}N_2O_2Na$ $[M+Na]^+$ 419.1730, found 419.1729.



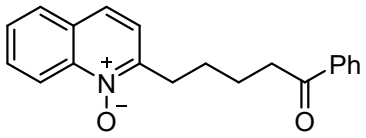
Ethyl 2-(2-oxo-3-(5-oxo-5-phenylpentyl)quinoxalin-1(2*H*)-yl)acetate (4m): white solid (73.3 mg, 93%), m.p. 105 – 106 °C. R_f = 0.18 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 – 7.93 (m, 2H), 7.83 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.59 – 7.50 (m, 1H), 7.53 – 7.40 (m, 3H), 7.33 (td, *J* = 8.0, 1.2 Hz, 1H), 7.05 (dd, *J* = 8.4, 1.2 Hz, 1H), 5.02 (s, 2H), 4.24 (q, *J* = 7.2 Hz, 2H), 3.07 (t, *J* = 7.0 Hz, 2H), 3.02 (t, *J* = 7.2 Hz, 2H), 1.95 – 1.90 (m, 4H), 1.27 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 166.1, 159.4, 153.4, 135.9, 131.9, 131.7, 131.2, 129.0, 128.7, 127.5, 127.1, 122.8, 112.0, 61.0, 42.5, 37.4, 32.8, 25.1, 23.1, 13.1 ppm; IR (neat): υ_{max} 2928, 2315, 1746, 1657, 1601, 1463, 1418, 1372, 1260, 1208, 1022, 859, 800, 755, 693 cm^{-1}; HRMS (ESI) calcd for $C_{23}H_{24}N_2O_4Na$ $[M+Na]^+$ 415.1628, found 415.1625.



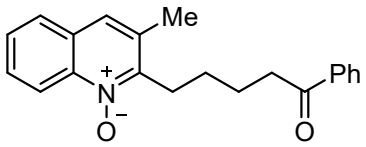
3-(5-Oxo-5-phenylpentyl)quinoxalin-2(1*H*)-one (4n): white solid (49.3 mg, 80%), m.p. 169 – 170 °C. R_f = 0.25 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.43 (s, 1H), 7.91 – 7.88 (m, 2H), 7.76 – 7.71 (m, 1H), 7.51 – 7.45 (m, 1H), 7.43 – 7.35 (m, 3H), 7.31 – 7.23 (m, 2H), 3.02 (t, *J* = 7.2 Hz, 2H), 2.98 (t, *J* = 7.2 Hz, 2H), 1.91 – 1.84 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 160.1, 155.6, 135.9, 131.9, 131.8, 129.9, 128.7, 127.7, 127.5, 127.0, 123.1, 114.7, 37.4, 32.1, 25.3, 23.1 ppm; IR (neat): υ_{max} 2961, 1660, 1562, 1259, 1020, 901, 798, 753, 689 cm^{-1}; HRMS (ESI) calcd for $C_{19}H_{18}N_2O_2Na$ $[M+Na]^+$ 329.1260, found 329.1256.



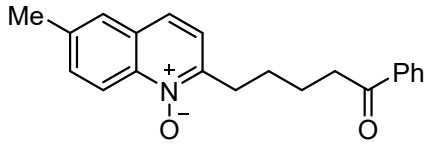
1-Phenyl-5-(2-phenyl-2H-indazol-3-yl)pentan-1-one (4o): yellow solid (10.8 mg, 15%), m.p. 98 – 99 °C. R_f = 0.24 (petroleum ether/ethyl acetate = 8:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 – 7.84 (m, 2H), 7.70 (dd, *J* = 14.0, 8.4 Hz, 2H), 7.59 – 7.48 (m, 6H), 7.47 – 7.41 (m, 2H), 7.35 – 7.28 (m, 1H), 7.11 – 7.07 (m, 1H), 3.11 (t, *J* = 7.2 Hz, 2H), 2.88 (t, *J* = 6.4 Hz, 2H), 1.76 – 1.73 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.6, 147.6, 139.0, 135.8, 135.2, 132.0, 128.2, 127.9, 127.5, 126.9, 125.6, 125.2, 120.03, 120.01, 119.1, 116.6, 36.8, 28.0, 24.2, 22.7 ppm; IR (neat): υ_{max} 2964, 2378, 2313, 1742, 1686, 1511, 1459, 1377, 1259, 1053, 892, 801, 749, 693 cm^{-1}; HRMS (ESI) calcd for $C_{24}H_{23}N_2O$ $[M+H]^+$ 355.1805, found 355.1810.



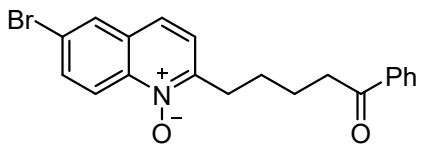
2-(5-Oxo-5-phenylpentyl)quinoline 1-oxide (4p): white solid (35.0 mg, 57%), m.p. 85 – 86 °C. R_f = 0.15 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (d, *J* = 8.8 Hz, 1H), 7.89 – 7.87 (m, 2H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.69 – 7.64 (m, 1H), 7.60 (d, *J* = 8.4 Hz, 1H), 7.54 – 7.49 (m, 1H), 7.49 – 7.44 (m, 1H), 7.40 – 7.33 (m, 2H), 7.27 (d, *J* = 8.4 Hz, 1H), 3.12 (t, *J* = 6.8 Hz, 2H), 3.00 (t, *J* = 6.8 Hz, 2H), 1.88 – 1.85 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.0, 147.8, 140.6, 135.9, 132.0, 129.3, 128.1, 127.5, 126.99, 126.97, 126.8, 124.3, 121.0, 118.6, 37.1, 30.4, 24.6, 23.0 ppm; IR (neat): υ_{max} 2934, 2314, 1681, 1564, 1514, 1451, 1353, 1238, 1086, 1019, 872, 809, 749, 692 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{20}NO_2$ $[M+H]^+$ 306.1489, found 306.1493.



3-Methyl-2-(5-oxo-5-phenylpentyl)quinoline 1-oxide (4q): white solid (26.3 mg, 41%), m.p. 103 – 104 °C. R_f = 0.24 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (d, *J* = 8.8 Hz, 1H), 7.90 – 7.88 (m, 2H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.64 – 7.58 (m, 1H), 7.52 – 7.44 (m, 3H), 7.41 – 7.36 (m, 2H), 3.17 (t, *J* = 7.6 Hz, 2H), 3.02 (t, *J* = 7.2 Hz, 2H), 2.44 (s, 3H), 1.91 – 1.80 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.2, 148.6, 139.1, 135.9, 131.9, 129.8, 128.5, 127.5, 127.3, 127.0, 126.9, 126.2, 124.9, 118.6, 37.2, 27.3, 23.8, 23.6, 18.9 ppm; IR (neat): υ_{max} 2962, 2378, 2314, 1681, 1502, 1451, 1412, 1335, 1261, 1225, 1089, 1023, 800, 750, 693 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{22}NO_2$ $[M+H]^+$ 320.1645, found 320.1652.

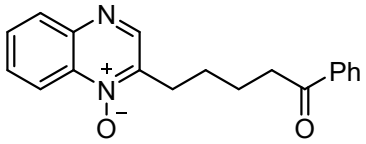


6-Methyl-2-(5-oxo-5-phenylpentyl)quinoline 1-oxide (4r): yellow solid (33.0 mg, 52%), m.p. 110 – 111 °C. R_f = 0.18 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (d, *J* = 8.4 Hz, 1H), 7.89 – 7.87 (m, 2H), 7.52 – 7.44 (m, 4H), 7.38 – 7.34 (m, 2H), 7.23 – 7.21 (m, 1H), 3.10 (t, *J* = 6.8 Hz, 2H), 3.00 (t, *J* = 6.8 Hz, 2H), 2.44 (s, 3H), 1.85 – 1.82 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.1, 147.0, 139.1, 136.9, 135.9, 132.0, 131.5, 128.2, 127.5, 127.0, 125.9, 124.0, 121.0, 118.4, 37.1, 30.3, 24.7, 23.0, 20.3 ppm; IR (neat): υ_{max} 2933, 2314, 1681, 1512, 1454, 1344, 1252, 1202, 1088, 817, 738, 691, 650 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{22}NO_2$ $[M+H]^+$ 320.1645, found 320.1650.

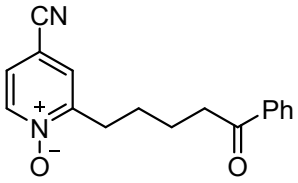


6-Bromo-2-(5-oxo-5-phenylpentyl)quinoline 1-oxide (4s): white solid (41.9 mg, 55%), m.p. 117 – 118 °C. R_f = 0.21 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (d, *J* = 9.2 Hz, 1H), 7.91 – 7.87 (m, 3H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.51 – 7.44 (m, 2H), 7.41 – 7.34 (m, 2H), 7.30 (d, *J* = 8.4 Hz, 1H), 3.09 (t, *J* = 6.8 Hz, 2H), 3.01 (t, *J* = 6.4 Hz, 2H), 1.85 – 1.83 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.9, 148.3, 139.4, 135.8, 132.6, 132.0, 129.2, 128.9, 127.6, 127.0, 123.2, 122.2,

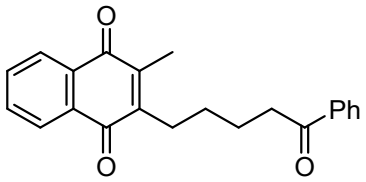
121.1, 120.7, 37.0, 30.4, 24.5, 23.0 ppm; IR (neat): υ_{max} 2931, 2313, 1681, 1597, 1555, 1506, 1450, 1344, 1257, 1185, 1089, 1022, 883, 803, 749, 691 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{19}BrNO_2$ $[M+H]^+$ 384.0594, found 384.0593.



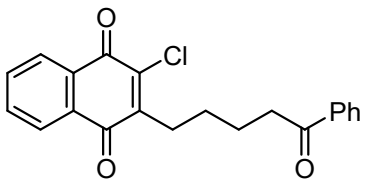
2-(5-Oxo-5-phenylpentyl)quinoxaline 1-oxide (4t): white solid (45.5 mg, 75%), m.p. 125 – 126 °C. R_f = 0.25 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 8.53 – 8.51 (m, 1H), 8.04 – 8.01 (m, 1H), 7.91 – 7.84 (m, 2H), 7.74 – 7.63 (m, 2H), 7.50 – 7.44 (m, 1H), 7.42 – 7.32 (m, 2H), 3.06 (t, *J* = 7.2 Hz, 2H), 3.00 (t, *J* = 6.8 Hz, 2H), 1.90 – 1.79 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.7, 145.8, 143.5, 141.6, 136.0, 135.8, 132.0, 129.6, 129.2, 129.0, 127.6, 127.0, 117.7, 37.0, 27.8, 24.2, 23.0 ppm; IR (neat): υ_{max} 2943, 2377, 2313, 1743, 1682, 1495, 1453, 1356, 1304, 1261, 1055, 870, 760, 689 cm^{-1}; HRMS (ESI) calcd for $C_{19}H_{19}N_2O_2$ $[M+H]^+$ 307.1441, found 307.1445.



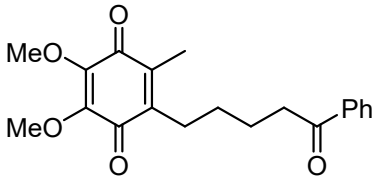
4-Cyano-2-(5-oxo-5-phenylpentyl)pyridine 1-oxide (4u): yellow solid (4.5 mg, 10%), m.p. 67 – 68 °C. R_f = 0.11 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (d, *J* = 6.8 Hz, 1H), 7.94 – 7.86 (m, 2H), 7.53 – 7.47 (m, 2H), 7.43 – 7.38 (m, 2H), 7.32 (dd, *J* = 6.8, 2.4 Hz, 1H), 3.00 (t, *J* = 6.8 Hz, 2H), 2.88 (t, *J* = 7.2 Hz, 2H), 1.81 – 1.75 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.6, 152.7, 139.3, 135.8, 132.1, 127.6, 127.01, 126.98, 124.9, 115.2, 106.4, 36.8, 29.2, 24.1, 22.6 ppm; IR (neat): υ_{max} 2966, 2902, 2378, 2314, 1837, 1741, 1689, 1515, 1463, 1420, 1260, 1051, 880, 800, 695, 633 cm^{-1}; HRMS (ESI) calcd for $C_{17}H_{17}N_2O_2$ $[M+H]^+$ 281.1285, found 281.1287.



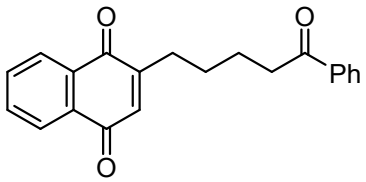
2-Methyl-3-(5-oxo-5-phenylpentyl)naphthalene-1,4-dione (6a): yellow solid (54.3 mg, 82%), m.p. 81 – 82 °C. R_f = 0.35 (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 – 7.95 (m, 2H), 7.88 (d, *J* = 7.6 Hz, 2H), 7.60 (dd, *J* = 5.6, 3.6 Hz, 2H), 7.50 – 7.44 (m, 1H), 7.41 – 7.36 (m, 2H), 2.95 (t, *J* = 7.2 Hz, 2H), 2.62 (t, *J* = 8.0 Hz, 2H), 2.12 (s, 3H), 1.82 – 1.75 (m, 2H), 1.55 – 1.47 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.9, 184.2, 183.6, 145.9, 142.4, 135.9, 132.3, 132.0, 131.0, 127.5, 127.0, 125.21, 125.16, 37.1, 27.3, 25.9, 23.4, 11.7 ppm; IR (neat): υ_{max} 2936, 2378, 2314, 1685, 1658, 1516, 1455, 1376, 1293, 1050, 895, 794, 716 cm^{-1}; HRMS (ESI) calcd for $C_{22}H_{20}O_3Na$ $[M+Na]^+$ 355.1305, found 355.1308.



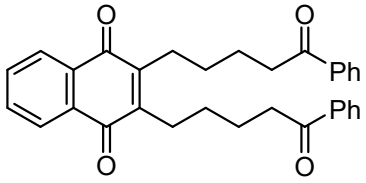
2-Chloro-3-(5-oxo-5-phenylpentyl)naphthalene-1,4-dione (6b): yellow solid (10.1 mg, 15%), m.p. 82 – 83 °C. R_f = 0.15 (petroleum ether/ethyl acetate = 20:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 – 8.04 (m, 2H), 7.90 – 7.88 (m, 2H), 7.69 – 7.67 (m, 2H), 7.51 – 7.47 (m, 1H), 7.41 – 7.37 (m, 2H), 2.98 (t, *J* = 7.2 Hz, 2H), 2.80 (t, *J* = 7.6 Hz, 2H), 1.86 – 1.78 (m, 2H), 1.65 – 1.57 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.8, 181.4, 176.7, 147.1, 142.2, 135.9, 133.2, 132.9, 132.0, 130.7, 130.3, 127.6, 127.0, 126.1, 126.0, 37.1, 27.3, 26.4, 23.2 ppm; IR (neat): υ_{max} 2962, 2377, 2315, 1840, 1741, 1677, 1596, 1515, 1455, 1418, 1329, 1280, 1260, 1039, 799, 693 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{17}ClO_3Na$ $[M+Na]^+$ 375.0758, found 375.0761.



2,3-Dimethoxy-5-methyl-6-(5-oxo-5-phenylpentyl)cyclohexa-2,5-diene-1,4-dione (6c): orange solid (36.2 mg, 53%), m.p. 48 – 49 °C. R_f = 0.25 (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 7.2 Hz, 2H), 7.49 (t, *J* = 7.2 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 2H), 3.92 (s, 6H), 2.94 (t, *J* = 7.2 Hz, 2H), 2.45 (t, *J* = 7.6 Hz, 2H), 1.96 (s, 3H), 1.77 – 1.69 (m, 2H), 1.47 – 1.39 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.9, 183.6, 183.1, 143.3, 143.2, 141.4, 138.0, 135.9, 132.0, 127.6, 127.0, 60.2, 37.1, 27.3, 25.2, 23.2, 11.0 ppm; IR (neat): υ_{max} 2942, 2378, 2314, 1743, 1650, 1516, 1453, 1373, 1264, 1204, 1154, 1059, 800, 746, 693 cm^{-1}; HRMS (ESI) calcd for $C_{20}H_{22}O_5Na$ $[M+Na]^+$ 365.1359, found 365.1364.

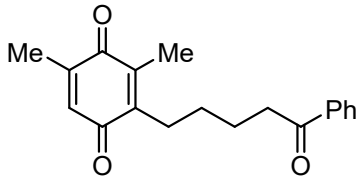


2-(5-Oxo-5-phenylpentyl)naphthalene-1,4-dione (6d): yellow solid (28.6 mg, 45%), m.p. 95 – 96 °C. R_f = 0.32 (petroleum ether/ethyl acetate = 8:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 – 7.97 (m, 2H), 7.92 – 7.87 (m, 2H), 7.70 – 7.64 (m, 2H), 7.51 – 7.47 (m, 1H), 7.42 – 7.36 (m, 2H), 6.75 (s, 1H), 2.97 (t, *J* = 7.2 Hz, 2H), 2.57 (t, *J* = 7.2 Hz, 2H), 1.83 – 1.76 (m, 2H), 1.66 – 1.60 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.8, 184.2, 184.1, 150.3, 135.9, 133.9, 132.7, 132.6, 132.0, 131.2, 131.1, 127.6, 127.0, 125.6, 125.0, 37.1, 28.5, 26.6, 22.8 ppm; IR (neat): υ_{max} 2967, 2899, 2379, 2313, 1672, 1515, 1460, 1416, 1305, 1261, 1053, 897, 799, 747, 689 cm^{-1}; HRMS (ESI) calcd for $C_{21}H_{19}O_3$ $[M+H]^+$ 319.1329, found 319.1333.

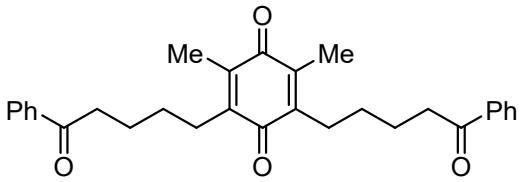


2,3-Bis(5-oxo-5-phenylpentyl)naphthalene-1,4-dione (6d'): yellow solid (45.1 mg, 47%), m.p. 98 – 99 °C. R_f = 0.22 (petroleum ether/ethyl acetate = 8:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (dd, *J* = 6.0, 3.2 Hz, 1H), 7.97 – 7.95 (m, 1H), 7.68 (dd, *J* = 5.6, 3.2 Hz, 1H), 7.56 – 7.52 (m, 1H), 7.46 – 7.42 (m, 2H), 3.04 (t, *J* = 7.2 Hz, 2H), 2.68 (t, *J* = 8.0 Hz, 2H), 1.91 – 1.84 (m, 2H), 1.64 – 1.56 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.9, 184.0, 145.9, 135.9, 132.3, 131.9, 131.1, 127.6, 127.0, 125.2, 37.1,

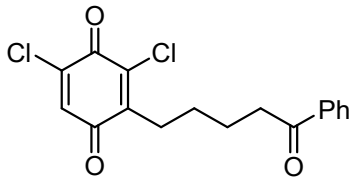
28.2, 25.9, 23.5 ppm; IR (neat): υ_{max} 2947, 2378, 2313, 1674, 1595, 1516, 1454, 1290, 1054, 798, 724 cm^{-1}; HRMS (ESI) calcd for $C_{32}H_{31}O_4$ $[M+H]^+$ 479.2217, found 479.2221.



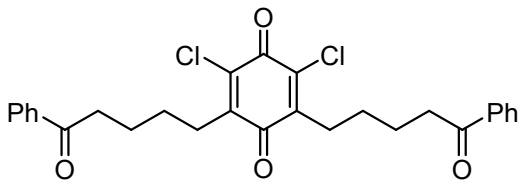
3,5-Dimethyl-2-(5-oxo-5-phenylpentyl)cyclohexa-2,5-diene-1,4-dione (6e): yellow oil (28.0 mg, 47%), R_f = 0.26 (petroleum ether/ethyl acetate = 10:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 2H), 7.50 – 7.47 (m, 1H), 7.40 – 7.37 (m, 2H), 6.47 – 6.46 (m, 1H), 2.93 (t, *J* = 7.6 Hz, 2H), 2.44 (t, *J* = 7.6 Hz, 2H), 1.97 (s, 3H), 1.96 (d, *J* = 1.6 Hz, 3H), 1.77 – 1.69 (m, 2H), 1.47 – 1.39 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.0, 187.2, 186.3, 144.3, 143.2, 139.9, 135.9, 132.1, 132.0, 127.6, 127.0, 37.1, 27.3, 25.1, 23.3, 14.9, 11.2 ppm; IR (neat): υ_{max} 2943, 2378, 2313, 1684, 1647, 1515, 1455, 1373, 1261, 1222, 1048, 888, 799, 750, 693 cm^{-1}; HRMS (ESI) calcd for $C_{19}H_{20}O_3Na$ $[M+Na]^+$ 319.1305, found 319.1308.



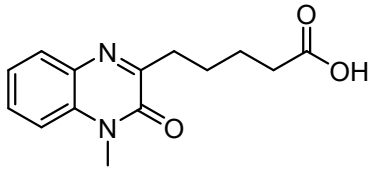
2,6-Dimethyl-3,5-bis(5-oxo-5-phenylpentyl)cyclohexa-2,5-diene-1,4-dione (6e'): yellow solid (10.8 mg, 12%), m.p. 71 – 72 °C. R_f = 0.15 (petroleum ether/ethyl acetate = 10:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 4H), 7.50 – 7.47 (m, 2H), 7.40 – 7.37 (m, 4H), 2.93 (t, *J* = 7.2 Hz, 4H), 2.46 (t, *J* = 8.0 Hz, 4H), 1.96 (s, 6H), 1.76 – 1.69 (m, 4H), 1.46 – 1.37 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.0, 187.0, 185.9, 142.9, 139.4, 135.9, 132.0, 127.6, 127.0, 37.1, 27.3, 25.4, 23.3, 11.2 ppm; IR (neat): υ_{max} 2969, 2314, 1916, 1743, 1686, 1645, 1515, 1457, 1419, 1260, 1051, 896, 798, 751, 693, 635 cm^{-1}; HRMS (ESI) calcd for $C_{30}H_{32}O_4Na$ $[M+Na]^+$ 479.2193, found 479.2199.



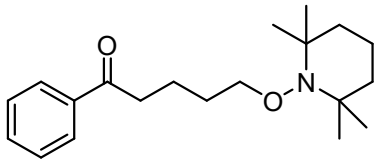
3,5-Dichloro-2-(5-oxo-5-phenylpentyl)cyclohexa-2,5-diene-1,4-dione (6f): brown oil (28.6 mg, 42%), R_f = 0.28 (petroleum ether/ethyl acetate = 10:1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 2H), 7.51 – 7.47 (m, 1H), 7.41 – 7.37 (m, 2H), 6.95 (s, 1H), 2.94 (t, *J* = 7.2 Hz, 2H), 2.64 (t, *J* = 7.6 Hz, 2H), 1.80 – 1.72 (m, 2H), 1.56 – 1.48 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.7, 181.4, 171.8, 145.2, 142.2, 139.3, 135.8, 132.7, 132.1, 127.6, 127.0, 36.9, 26.8, 26.3, 23.0 ppm; IR (neat): υ_{max} 2963, 2931, 2378, 2313, 1743, 1684, 1515, 1457, 1418, 1260, 1044, 886, 798, 694 cm^{-1}; HRMS (ESI) calcd for $C_{17}H_{15}Cl_2O_3$ $[M+H]^+$ 337.0393, found 337.0389.



2,6-Dichloro-3,5-bis(5-oxo-5-phenylpentyl)cyclohexa-2,5-diene-1,4-dione (6f'): yellow oil (13.9 mg, 14%), R_f = 0.14 (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 4H), 7.51 – 7.47 (m, 2H), 7.41 – 7.37 (m, 4H), 2.95 (t, *J* = 7.2 Hz, 4H), 2.65 (t, *J* = 7.6 Hz, 4H), 1.79 – 1.72 (m, 4H), 1.56 – 1.49 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.7, 181.2, 171.7, 144.9, 138.9, 135.9, 132.0, 127.6, 127.0, 36.9, 27.0, 26.3, 23.0 ppm; IR (neat): υ_{max} 2942, 2868, 1680, 1592, 1448, 1257, 1016, 800, 742, 693 cm^{-1}; HRMS (ESI) calcd for $C_{28}H_{26}Cl_2O_4Na$ $[M+Na]^+$ 519.1100, found 519.1107.



5-(4-Methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)pentanoic acid (7a)[5]: white solid (30 mg, 64%), m.p. 115 – 116 ºC. R_f = 0.17 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 – 7.75 (m, 1H), 7.48 – 7.43 (m, 1H), 7.28 – 7.22 (m, 2H), 3.63 (s, 3H), 2.90 (t, *J* = 7.2 Hz, 2H), 2.37 (t, *J* = 6.8 Hz, 2H), 1.84 – 1.69 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.0, 159.5, 153.9, 132.0, 131.6, 128.7, 128.6, 122.6, 112.6, 32.8, 32.6, 28.1, 25.0, 23.5 ppm.



1-Phenyl-5-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)pentan-1-one (8a): (known compound)[2] colorless oil (30.4 mg, 47 %). R_f = 0.30 (petroleum ether/ethyl acetate = 30:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 – 7.88 (m, 2H), 7.50 – 7.46 (m, 1H), 7.41 – 7.37 (m, 2H), 3.71 (t, *J* = 6.4 Hz, 2H), 2.94 (t, *J* = 7.4 Hz, 2H), 1.81 – 1.73 (m, 2H), 1.59 – 1.51 (m, 2H), 1.39 – 1.33 (m, 4H), 1.27 – 1.17 (m, 2H), 1.08 (s, 6H), 1.01 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.3, 136.0, 131.9, 127.5, 127.0, 58.6, 38.6, 37.6, 32.1, 27.4, 20.5, 19.1, 16.1 ppm.

References

(1) (a) D. Chen, Z.-J. Wang and W. Bao, Copper-Catalyzed Cascade Syntheses of 2H-benzo[b][1,4]thiazin-3(4*H*)-ones and Quinoxalin-2(1*H*)-ones through Capturing S and N Atom Respectively from AcSH and $TsNH_2$, *J. Org. Chem.,* 2010, **75**, 5768-5771. (b) H.-M. Mbuvi, E.-R. Klobukowski, G.-M. Roberts and L.-K. Woo, O-H Insertion and Tandem N-H Insertion/Cyclization Reactions using an Iron Porphyrin as Catalyst with Diazo Compounds as Carbene Sources, *J. Porphyr. Phthalocyanines,* 2010, **14**, 284-292. (c) A. Carrer, J. D. Brion, S. Messaoudi and M. Alami, Palladium(II)-Catalyzed Oxidative Arylation of Quinoxalin-2(1*H*)-ones with Arylboronic Acids, *Org. Lett.,* 2013, **15**, 5606-5609. (d) C. Sachin and K. Sushil, Synthesis and Biological Activity of Peptide Derivatives of 1,2-Dihydro-3Methyl-2-Oxoquinoxaline-6-Carboxylic Acid, *Der. Pharma. Chemica.,* 2015, **7**, 210.

(2) (a) K. Zmitek, M. Zupan, S. Stavber, and J. Iskra, The Effect of Iodine on the Peroxidation of Carbonyl Compounds, *J. Org. Chem.*, 2007*,* **72***,* 6534-6540. (b) J.-C. Yang, L. Chen, F. Yang, P. Li and L.-N. Guo, Copper-Catalyzed Borylation of Cycloalkylsilyl Peroxides via Radical C-C Bond Cleavage, *Org. Chem. Front*., 2019, **6**, 2792-2795. (c) P. Gao, H. Wu, J.-C. Yang and L.-N. Guo, Iron-Catalyzed Decarboxylative Olefination of Unstrained Carbon-Carbon Bonds Relying on Alkoxyl Radical Induced Cascade, *Org. Lett.*, 2019, **21**, 7104-7108. (d) L. Chen, J.-C. Yang, P. Xu, J.-J. Zhang, X.-H. Duan and L.-N. Guo, Nickel-catalyzed Suzuki Coupling of Cycloalkyl Silyl Peroxides with Boronic Acids, *J. Org. Chem*., 2020, **85**, 7515-7525. (e) M.-T. Suo, S. Yang, J.-C. Yang, Z.-Y. Liu, J.-J. Zhang and L.-N. Guo, Iron Catalyzed Ketoalkylation and Ketoalkylation/Etherification of Styrenes Initiated by Selective C-C Bond Cleavage, *Org. Chem. Front.,* 2020, **7**, 2414-2418. (f) S. Yang, P. Gao, M.-T. Suo, S.-X. Gao, X.-H. Duan and L.-N. Guo, Copper-Catalyzed Alkylarylation of Vinylarenes with Cycloalkylsilyl Peroxides and Boronic Acids, *Chem. Commun.*, 2020, **56**, 10714-10717. (g) S. Sakurai, T. Kano and K. Maruoka, Cu-Catalyzed O-Alkylation of Phenol Derivatives with Alkylsilyl Peroxides, *Chem. Commun*., 2021, **57**, 81-84. (h) J. Lv, W. Xu, H. Lu, T. Kato, Y. Liu and K. Maruoka, The Copper-Catalyzed Selective Monoalkylation of Active Methylene Compounds with Alkylsilyl Peroxides, *Org*. *Biomol*. *Chem*., 2021, **19**, 2658-2662. (i) W. Xu, Y. Liu, T. Kato and K. Maruoka, The Formation of C-C or C-N Bonds via the Copper-Catalyzed Coupling of Alkylsilyl Peroxides and Organosilicon Compounds: A Route to Perfluoroalkylation, *Org. Lett.*, 2021, **23**, 1809-1813.

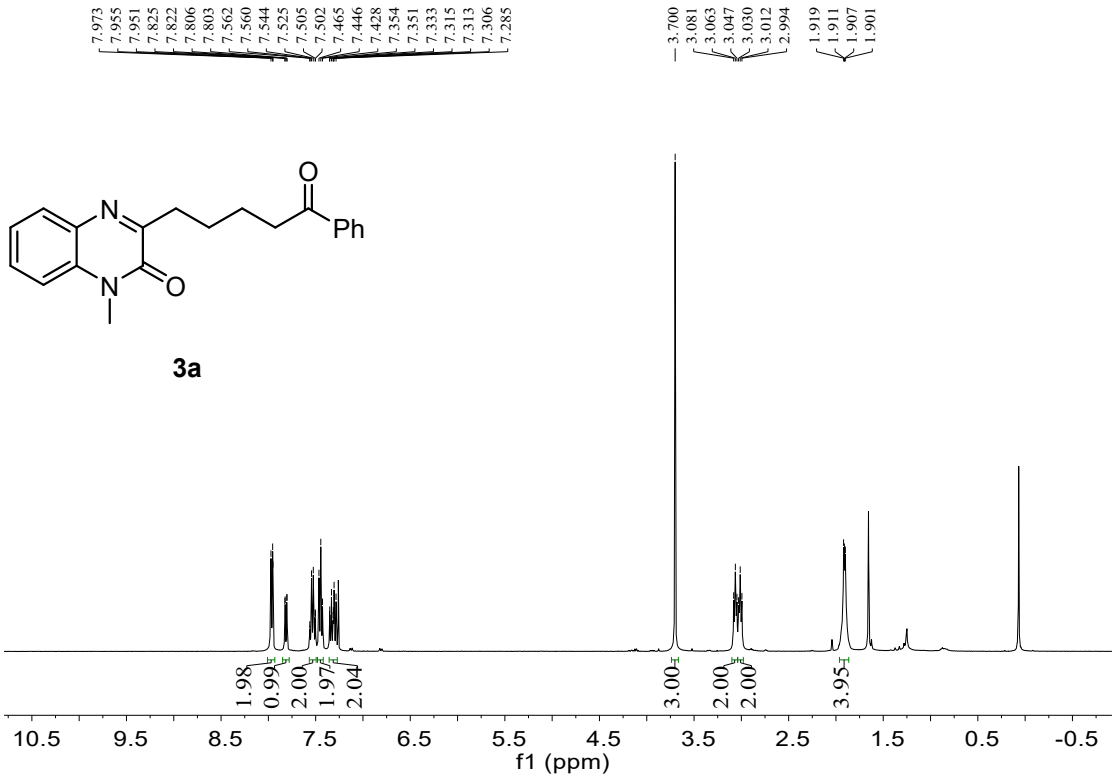
(3) M. Hai, L.-N. Guo, L. Wang and X.-H. Duan, Visible Light Promoted Ketoalkylation of Quinoxaline-2(1*H*)-ones via Oxidative Ring-Opening of Cycloalkanols, *Acta Chim. Sinica,* 2019, **77**, 895-900.

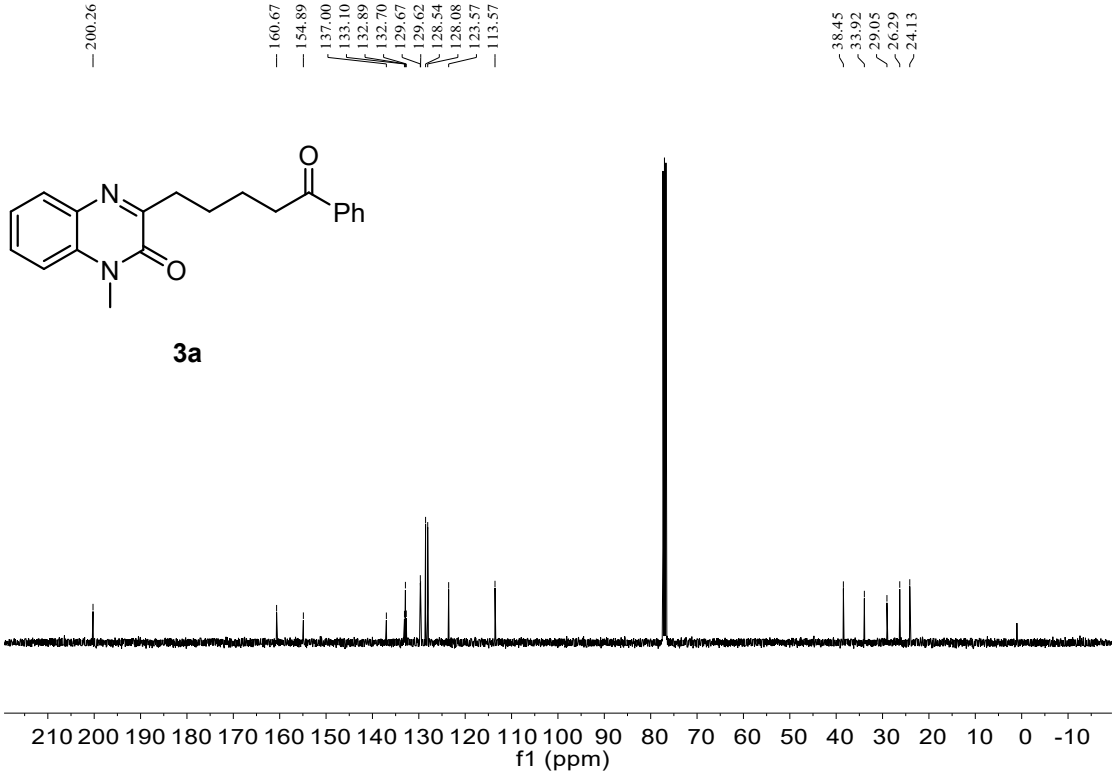
(4) K. Niu, L. Song, Y. Hao, Y. Liu and Q. Wang, Electrochemical Decarboxylative C3 Alkylation of Quinoxalin-2(1H)-ones with N-Hydroxyphthalimide Ester, *Chem. Commun.,* 2020, **56**, 11673-11676.

(5) N. A. Lack, P. Axerio-Cilies, P. Tavassoli, F. Q. Han, K. H. Chan, C. Feau, E. LeBlanc, E. T. Guns, R. K. Guy, P. S. Rennie and A. Cherkasov, Targeting the Binding Function 3 (BF_3) Site of the Human Androgen Receptor through Virtual Screening, *J. Med. Chem.*, 2011, **54**, 8563-8573.

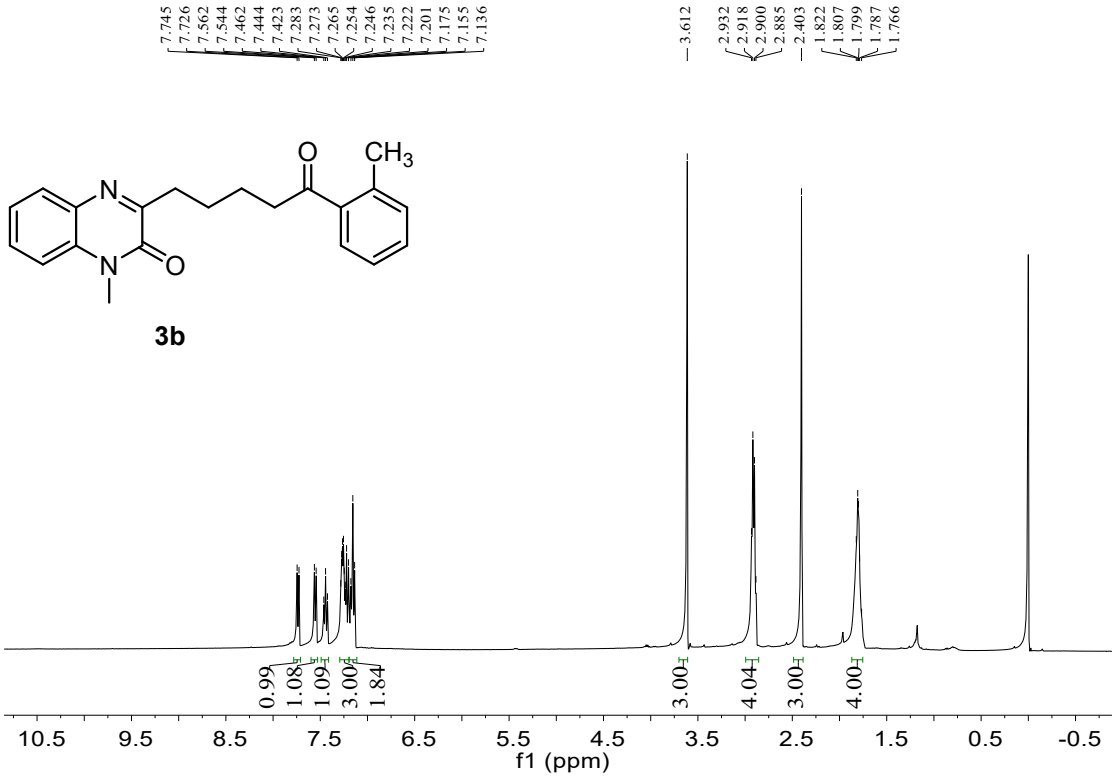
^{1}H NMR and ^{13}C NMR Spectra of the Products 3, 4 and 6 - 8

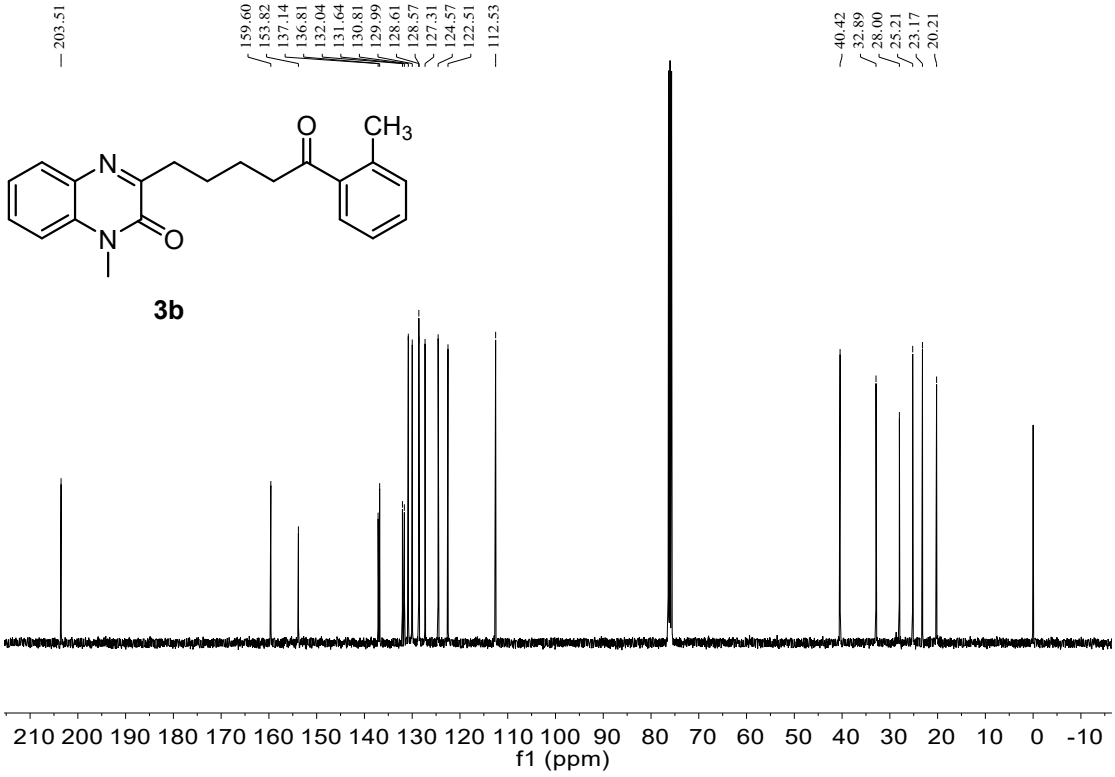
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3a



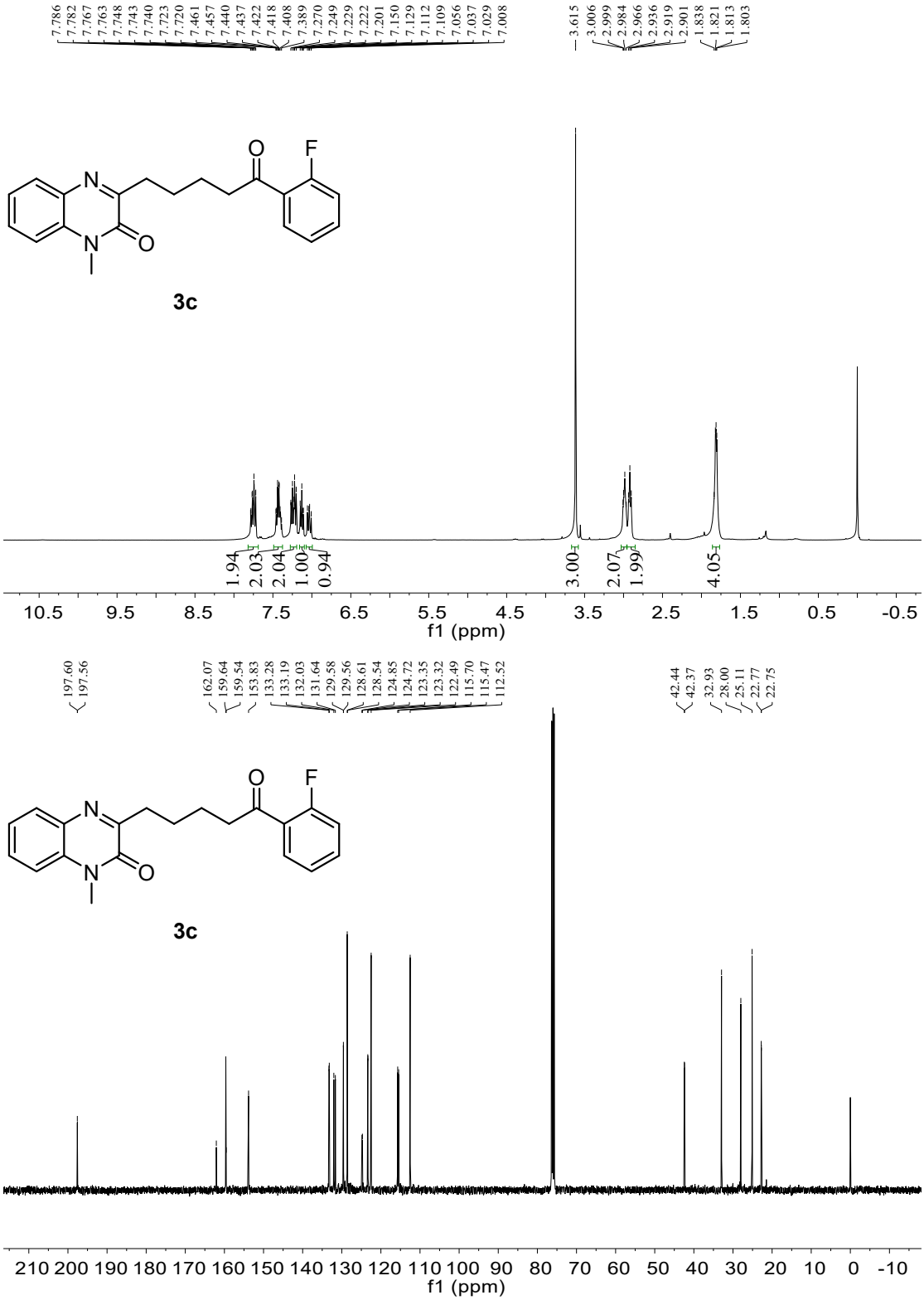


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3b

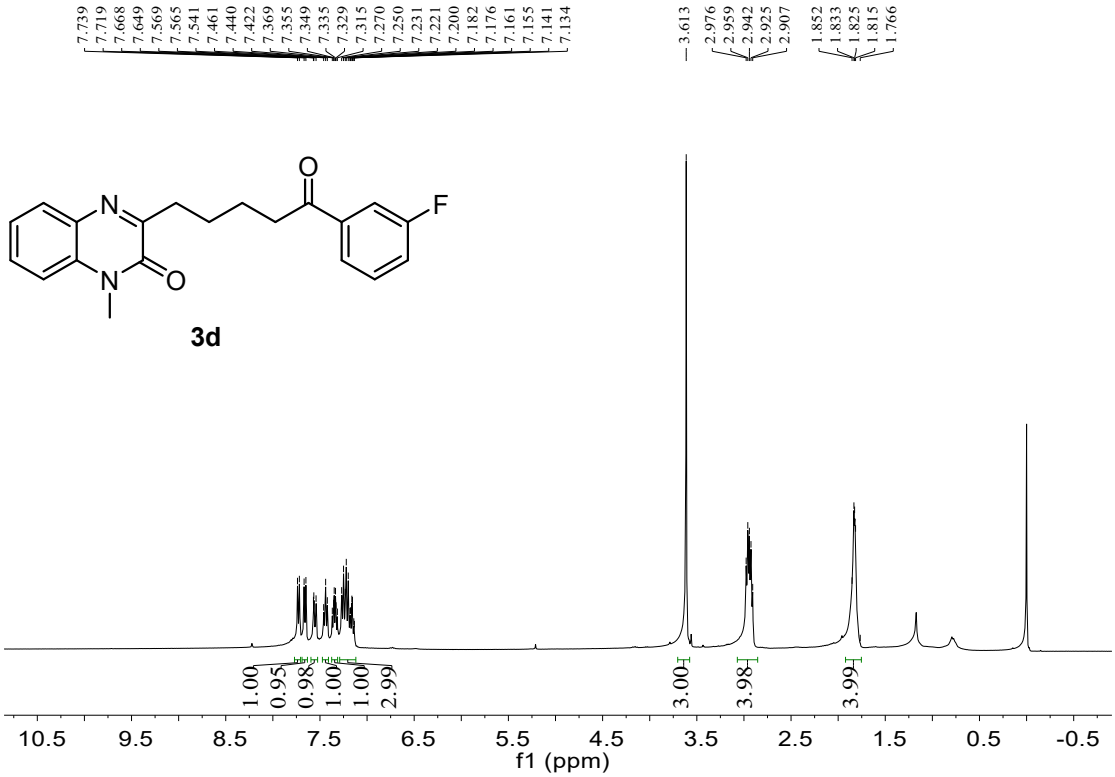


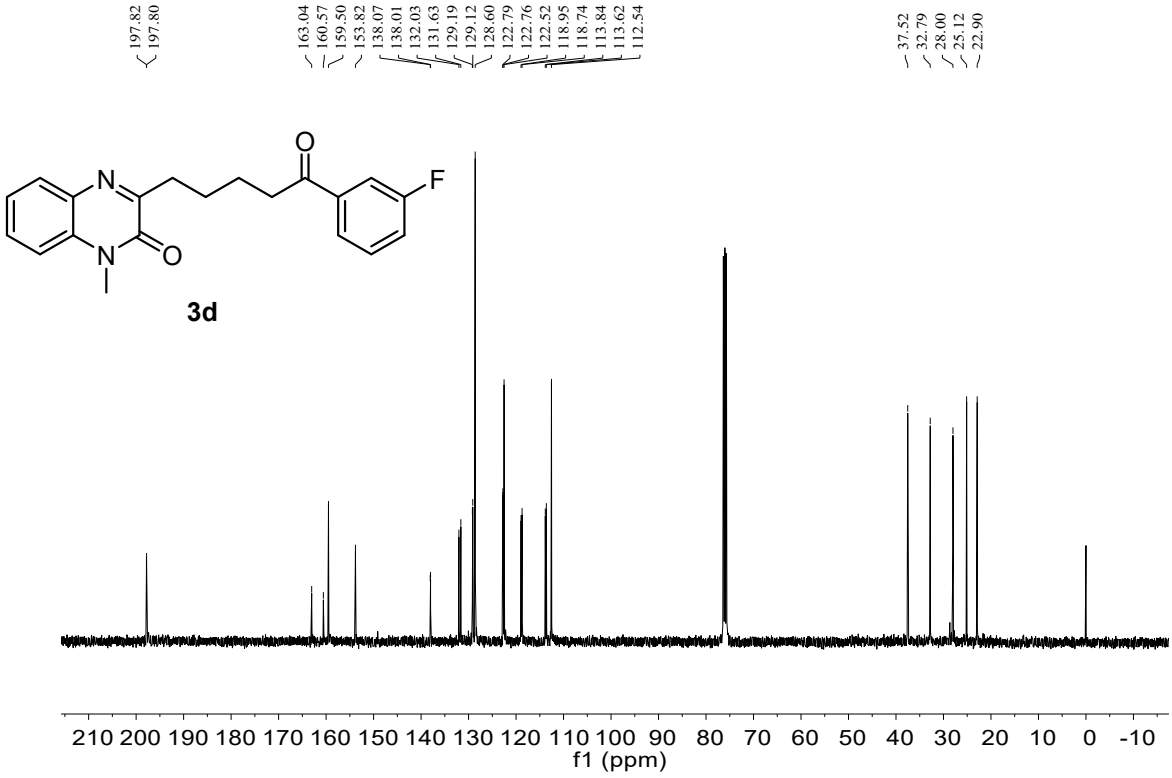


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3c

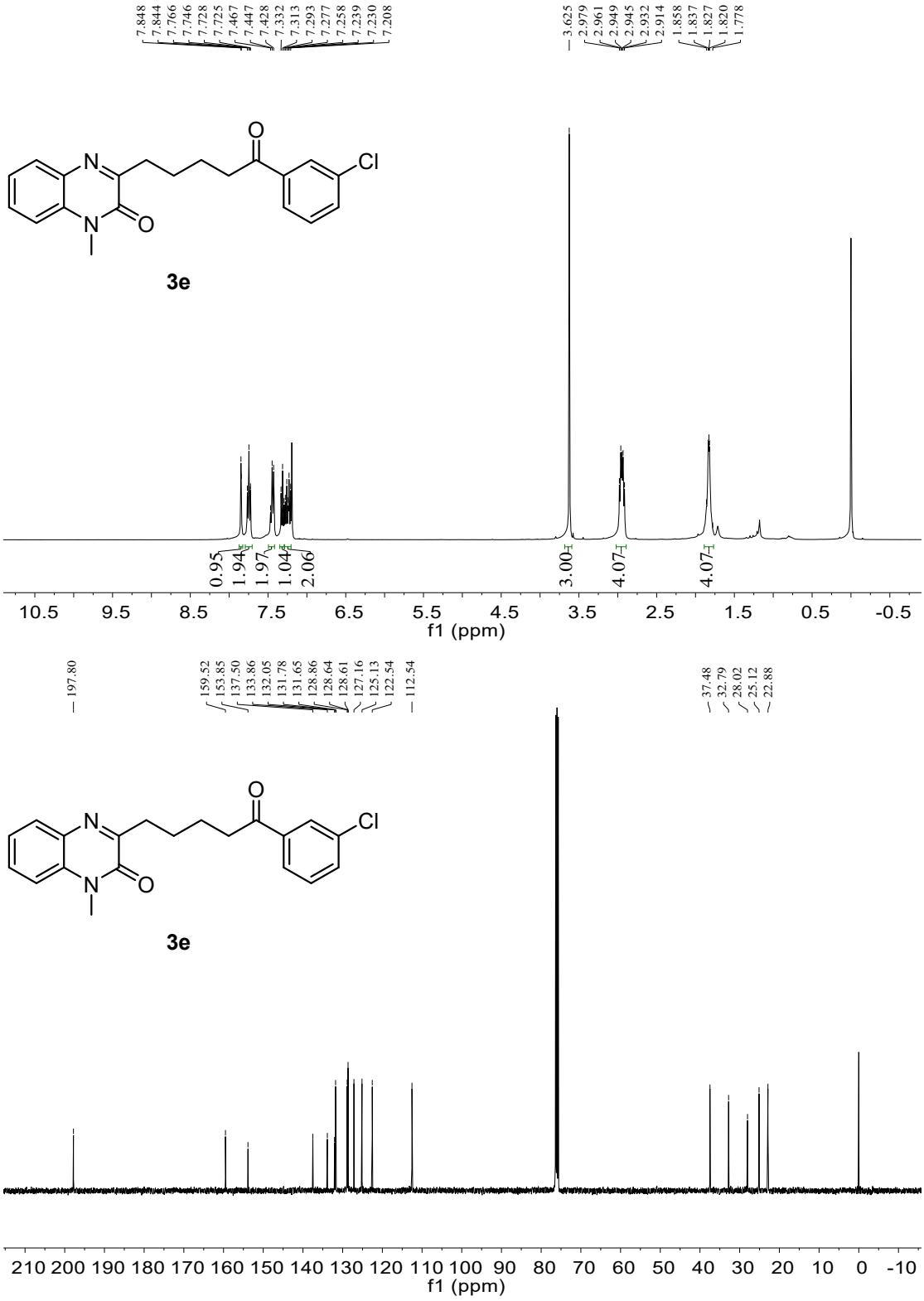


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3d

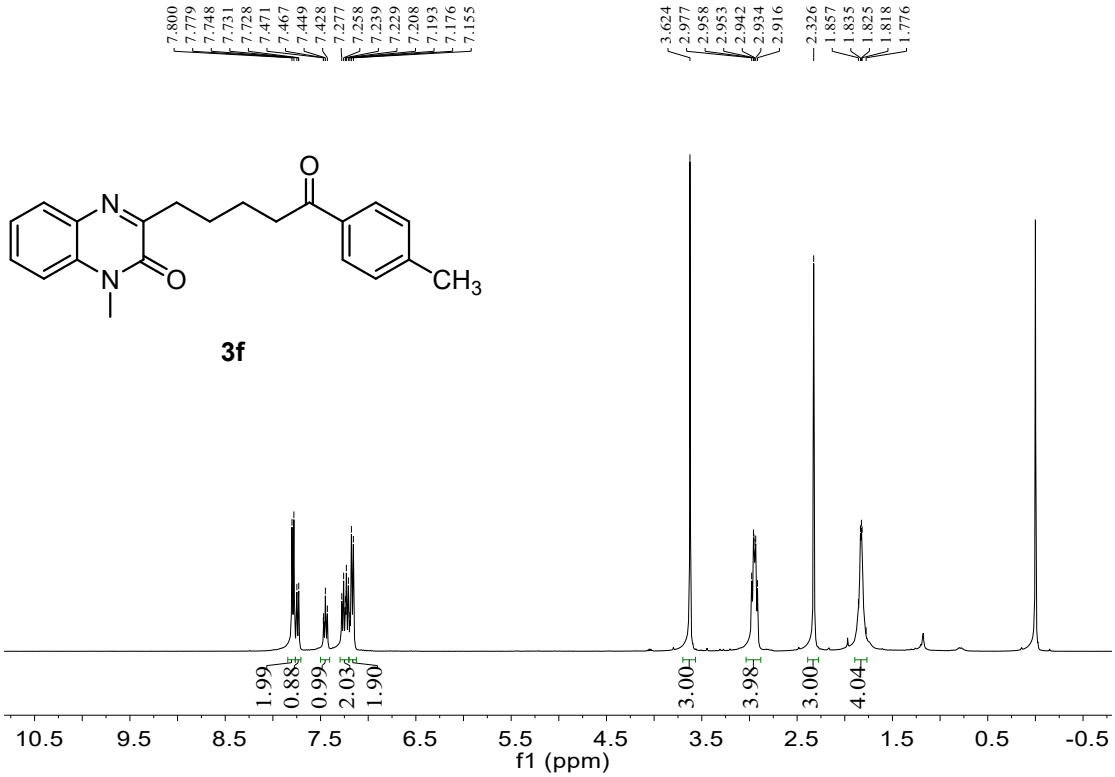


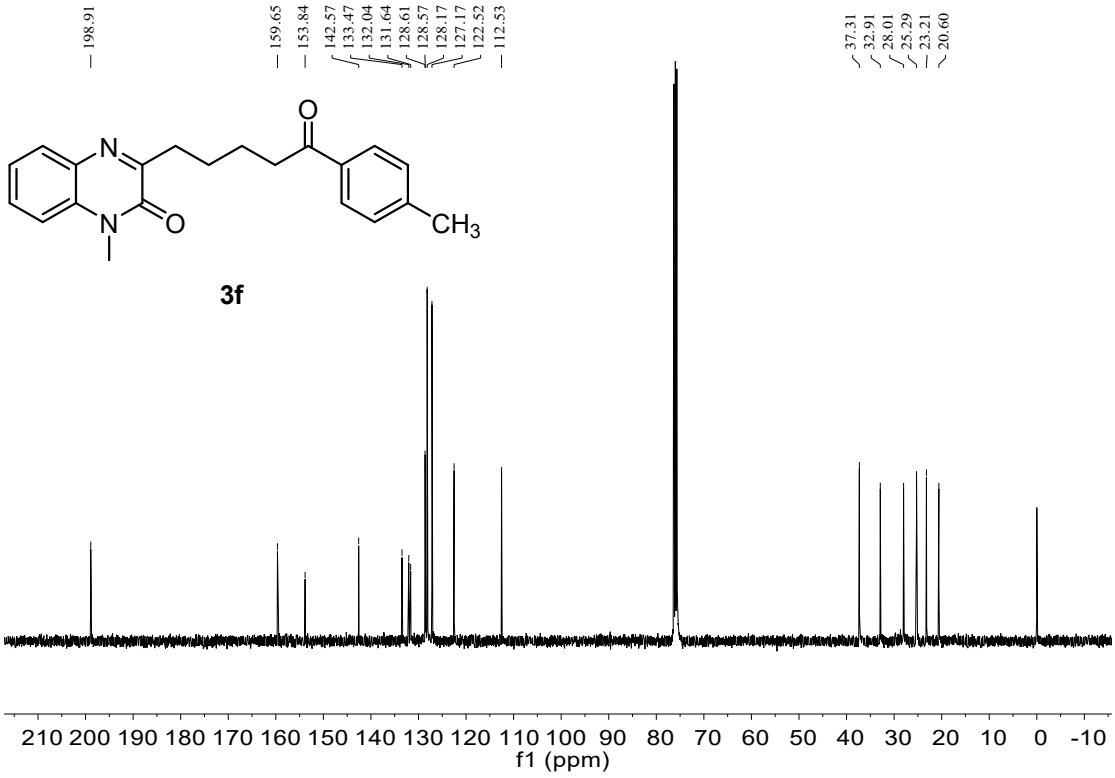


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3e

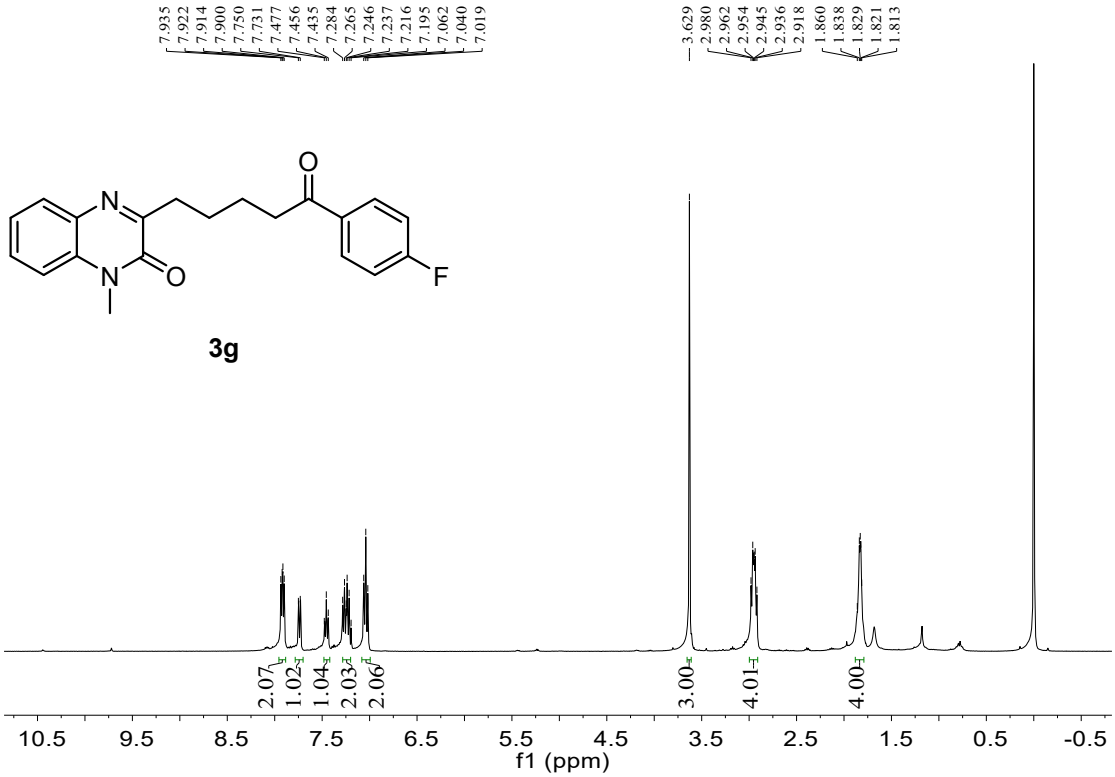


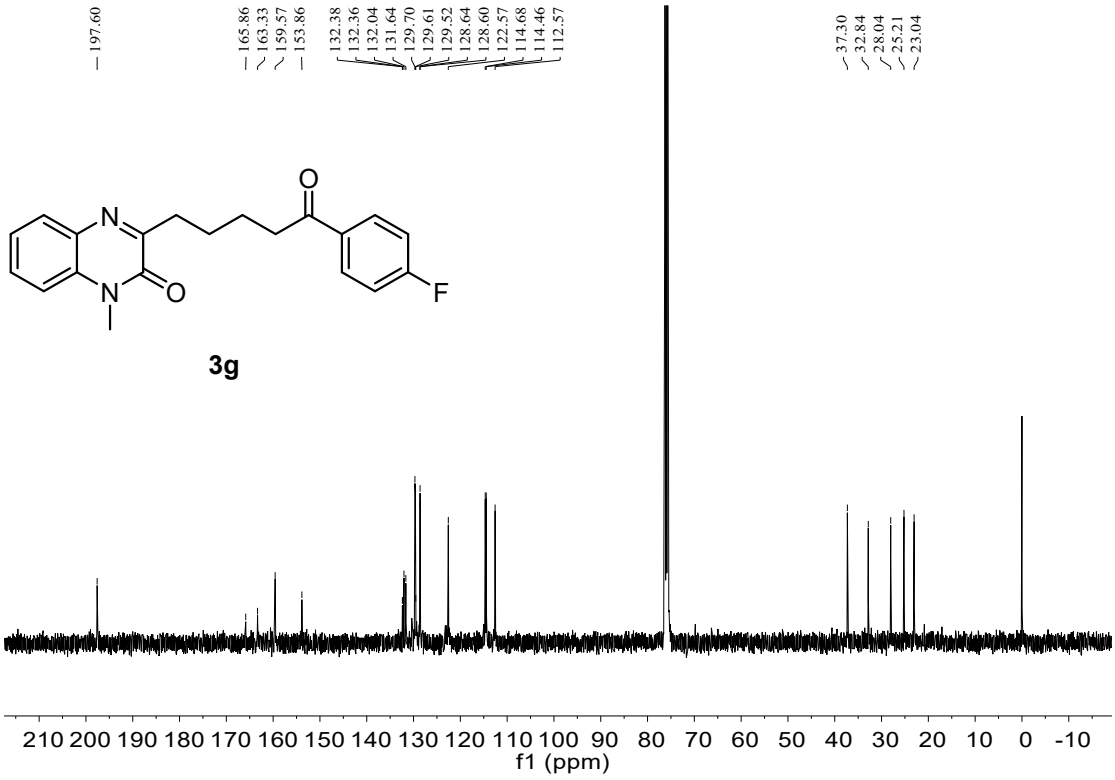
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3f



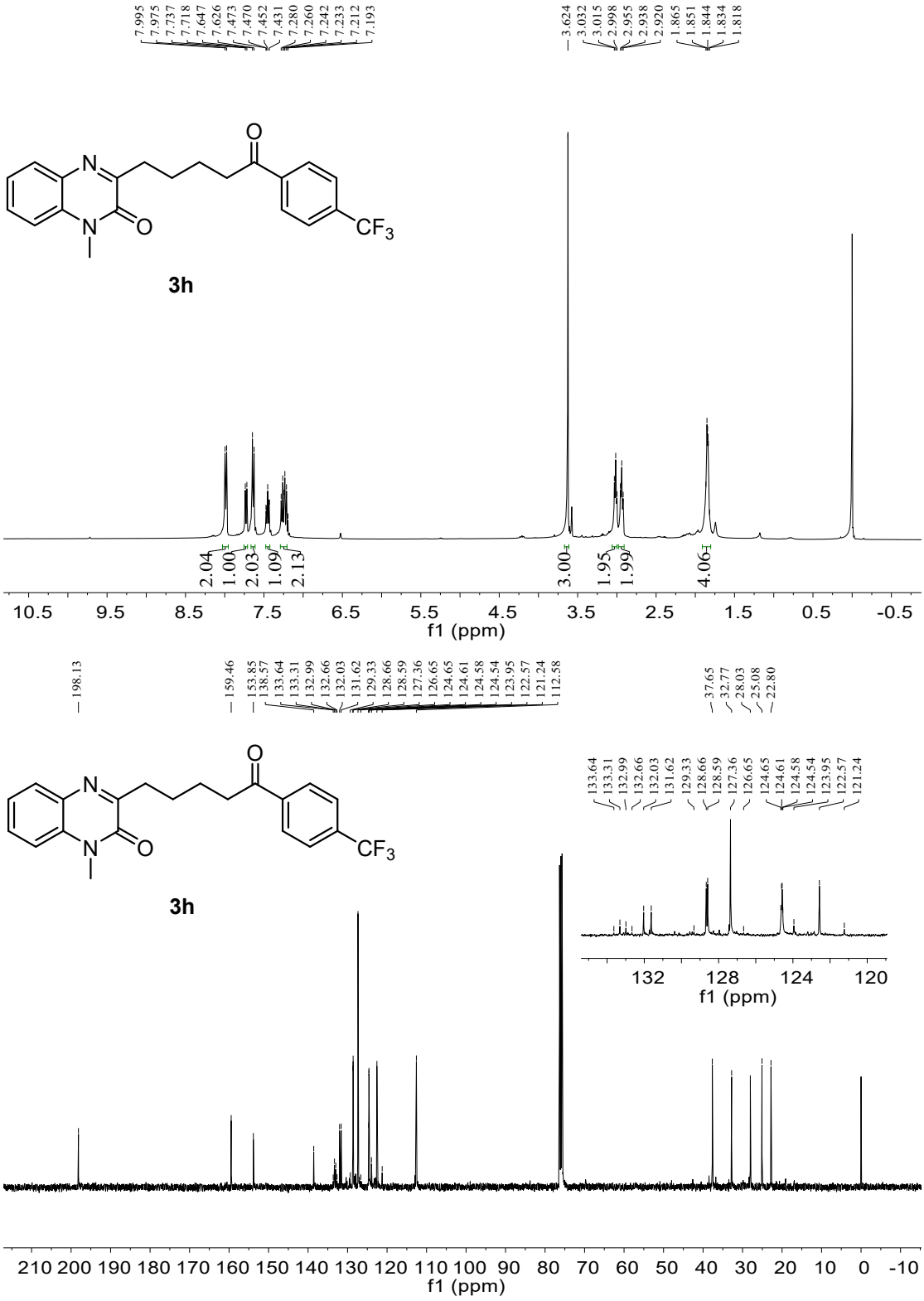


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3g

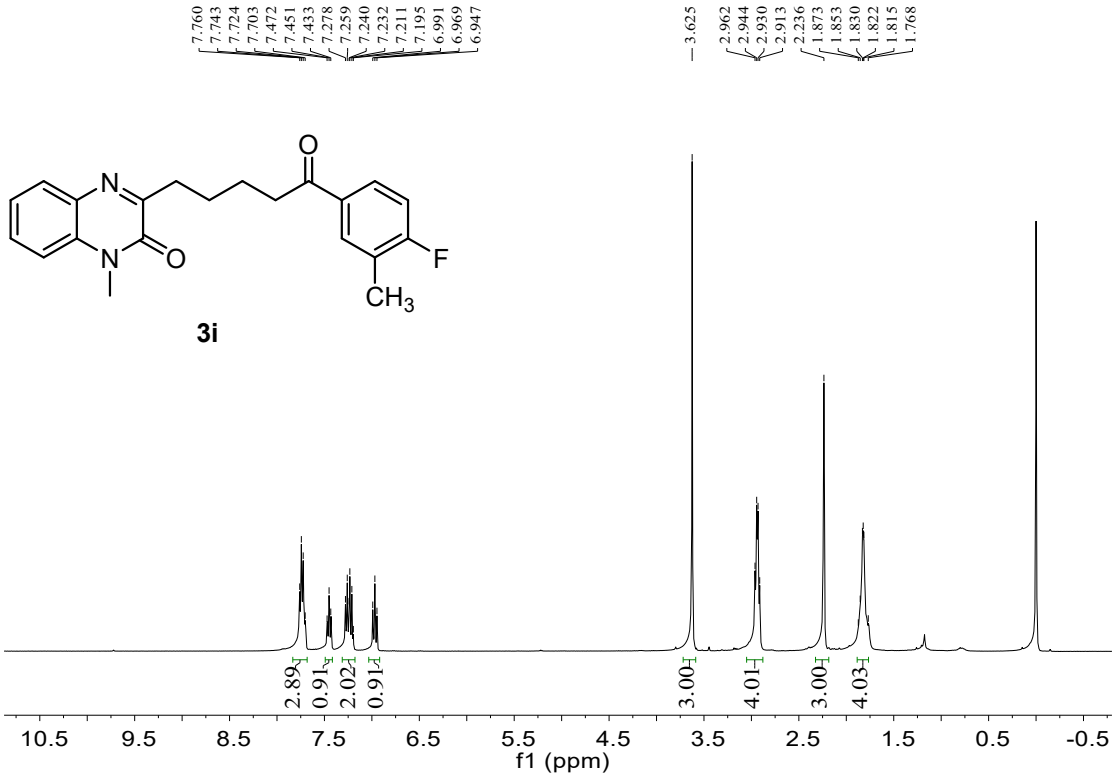


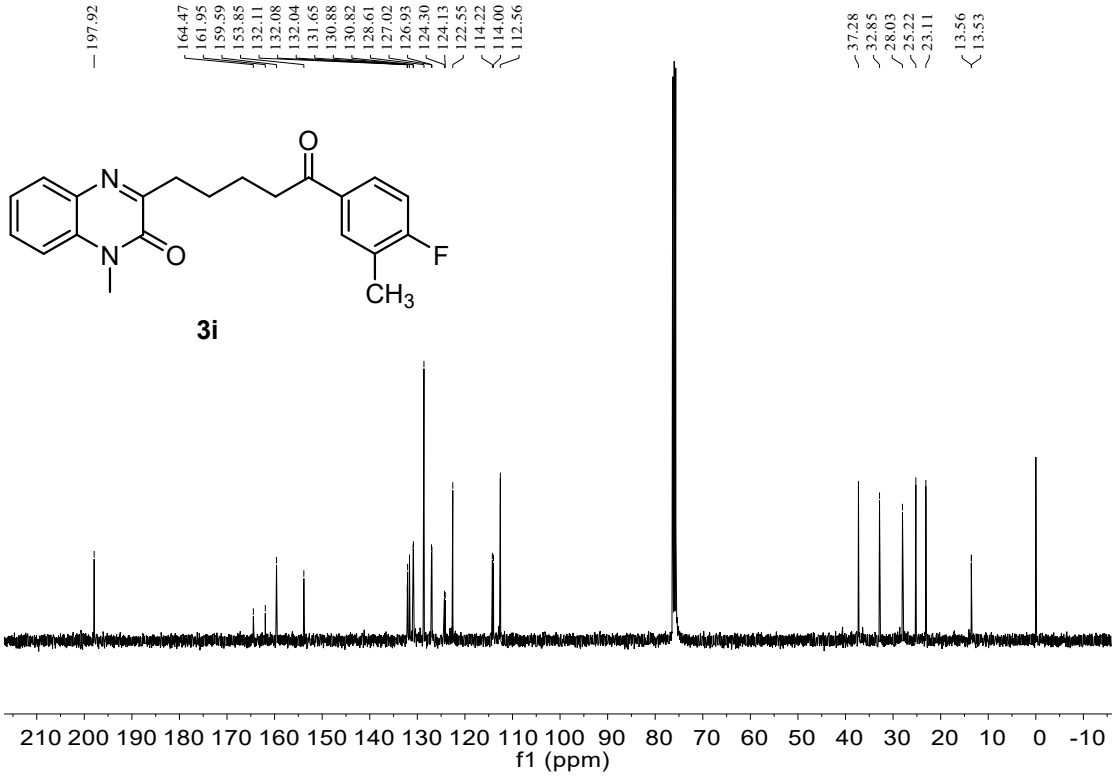


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3h

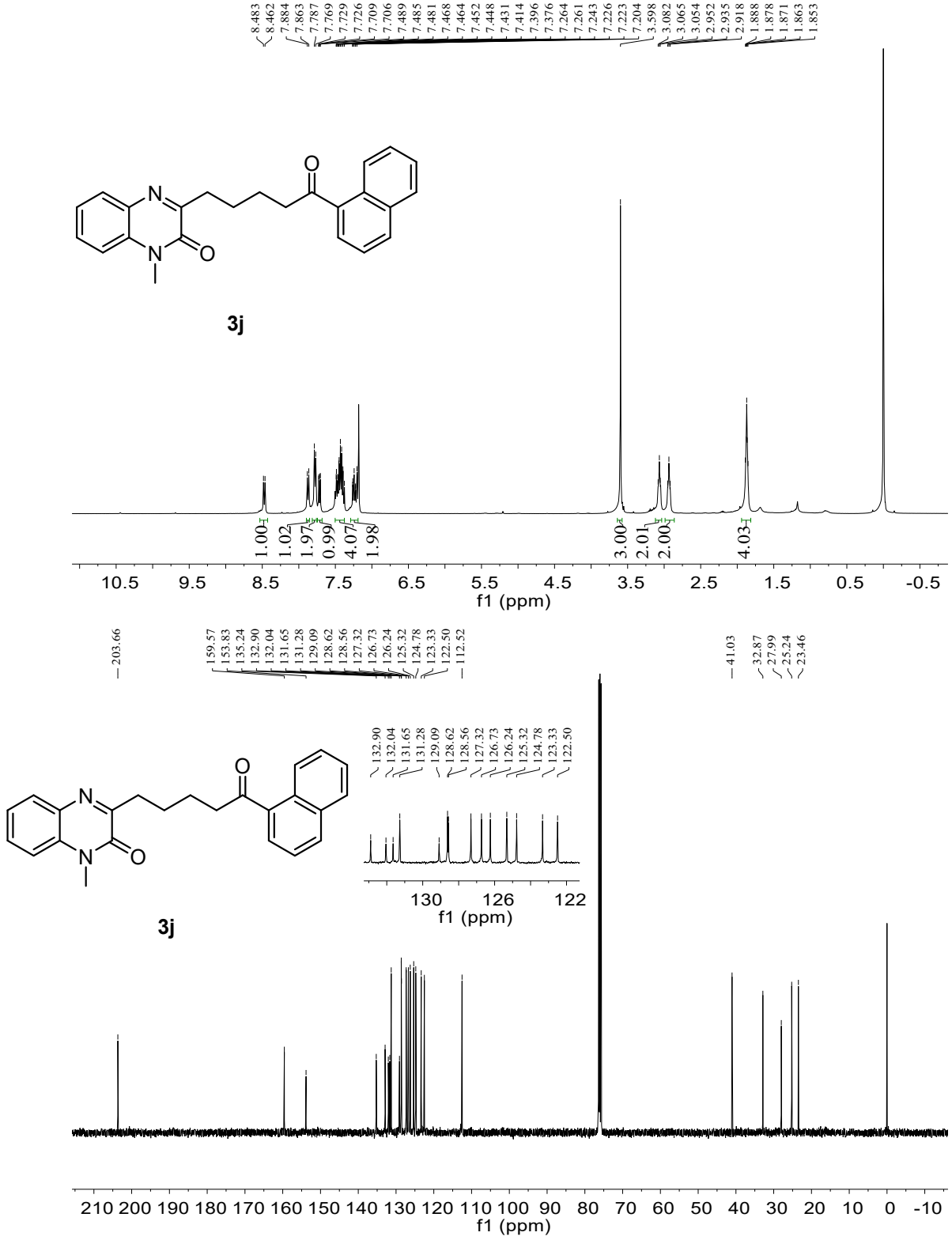


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3i

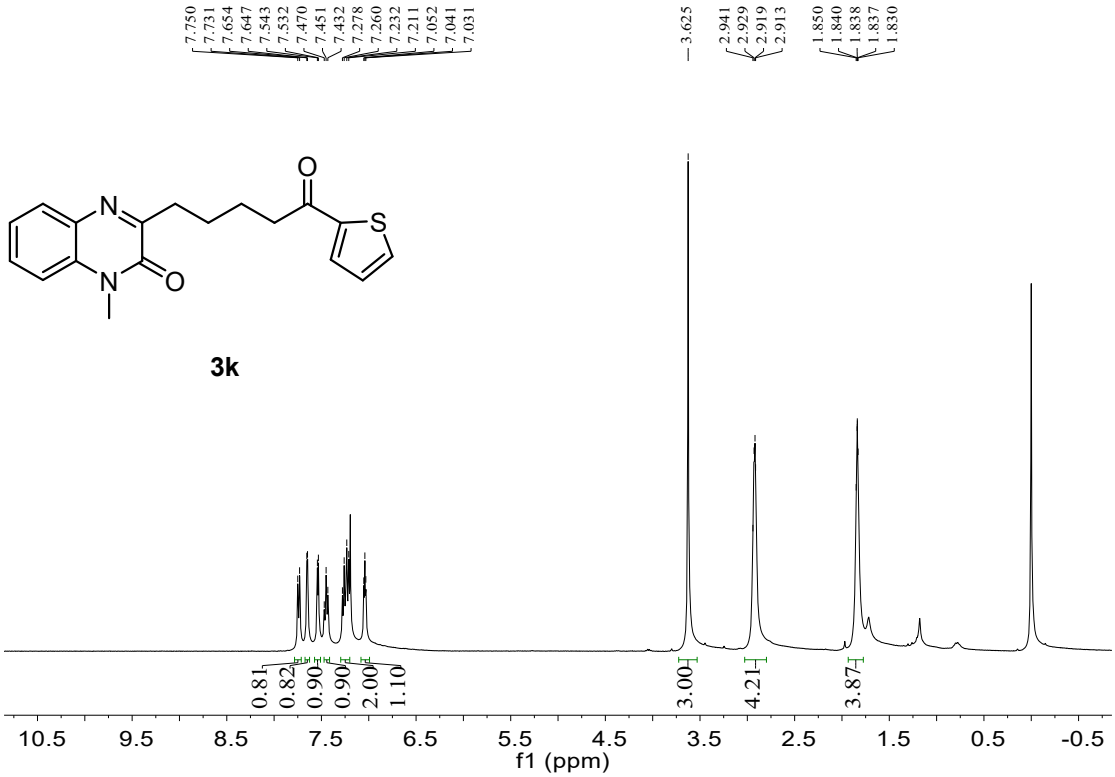


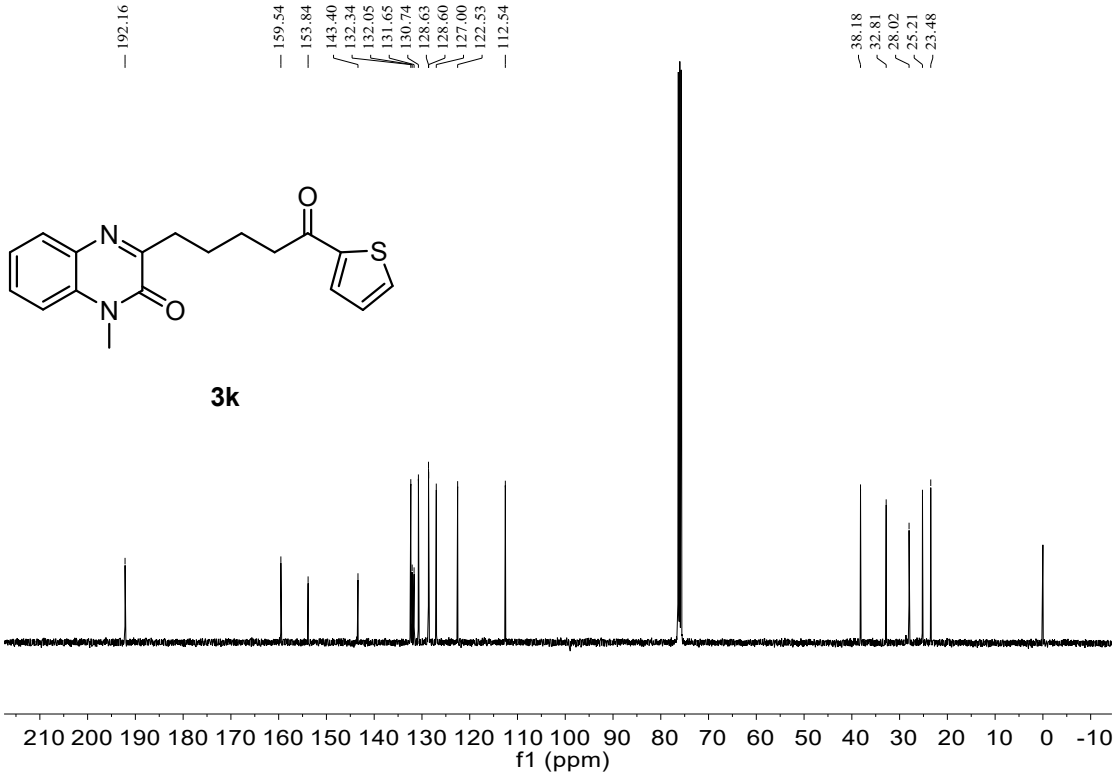


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3j

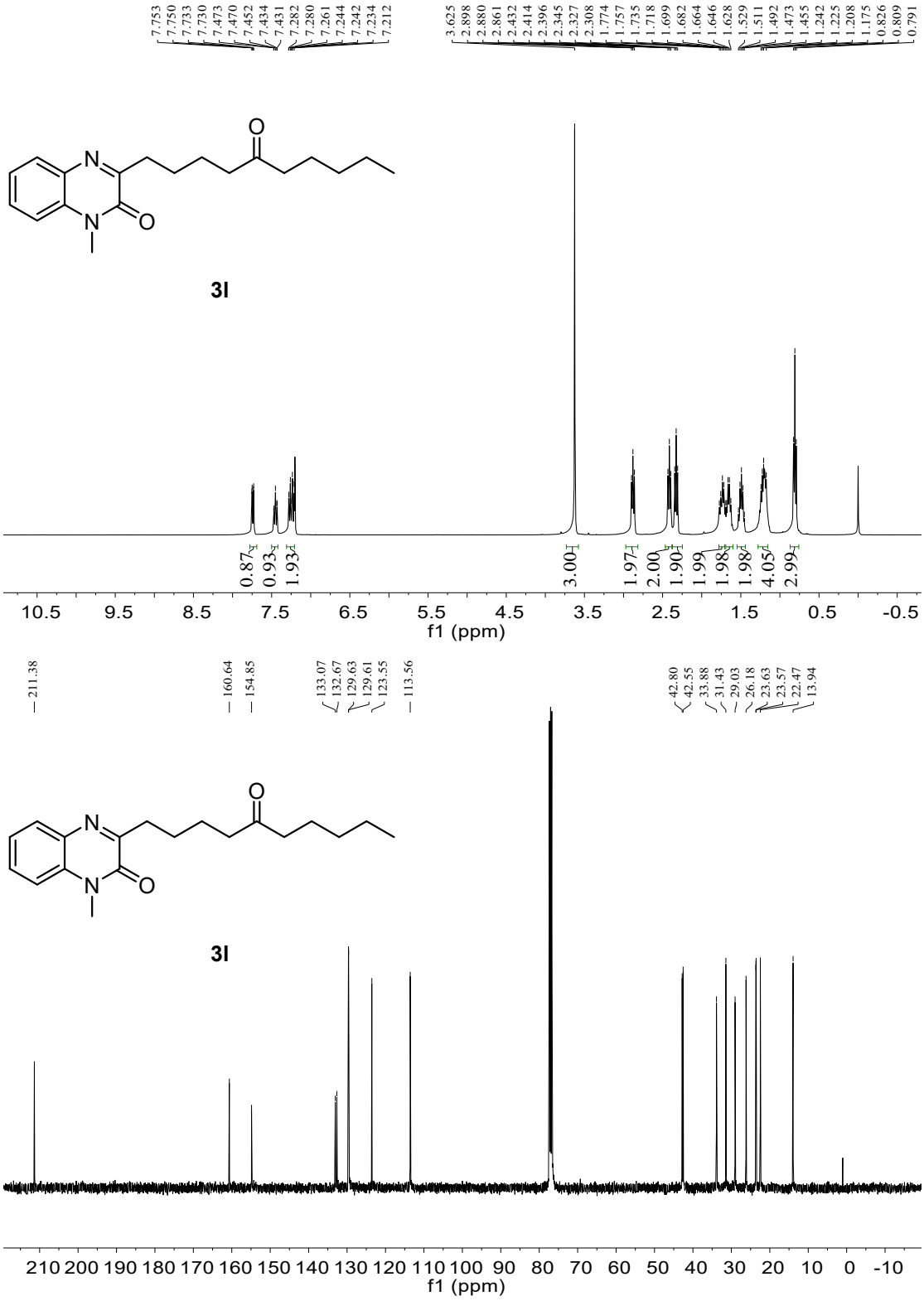


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3k

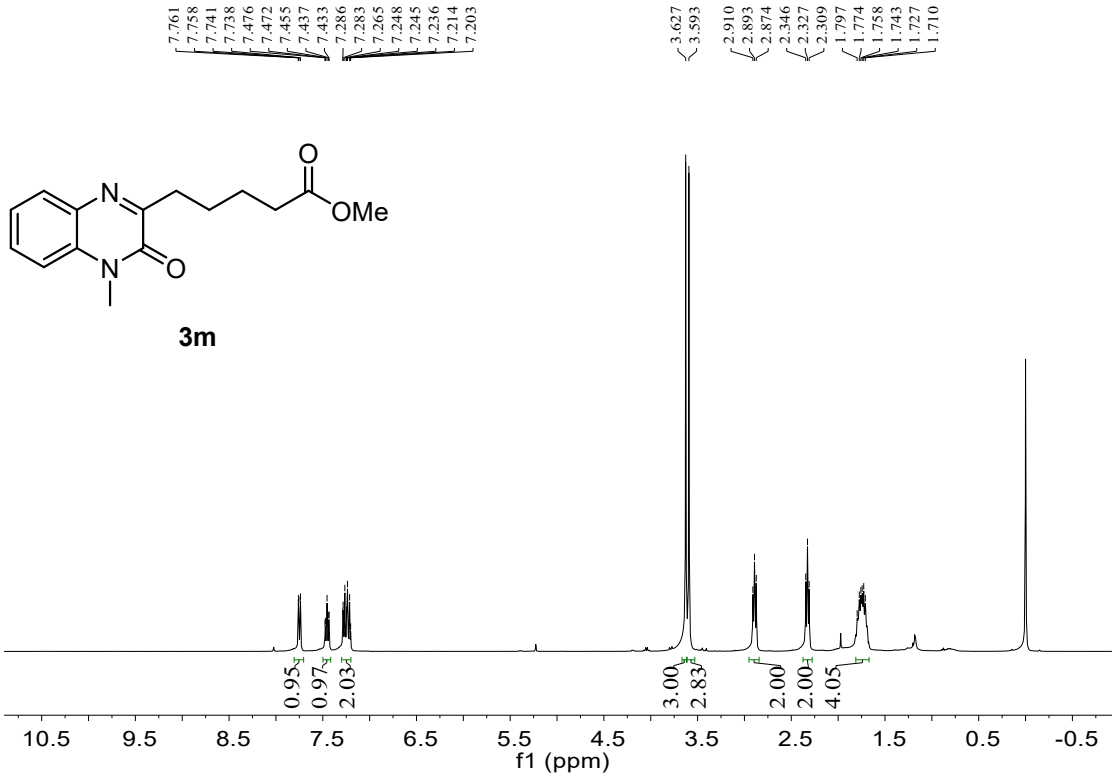


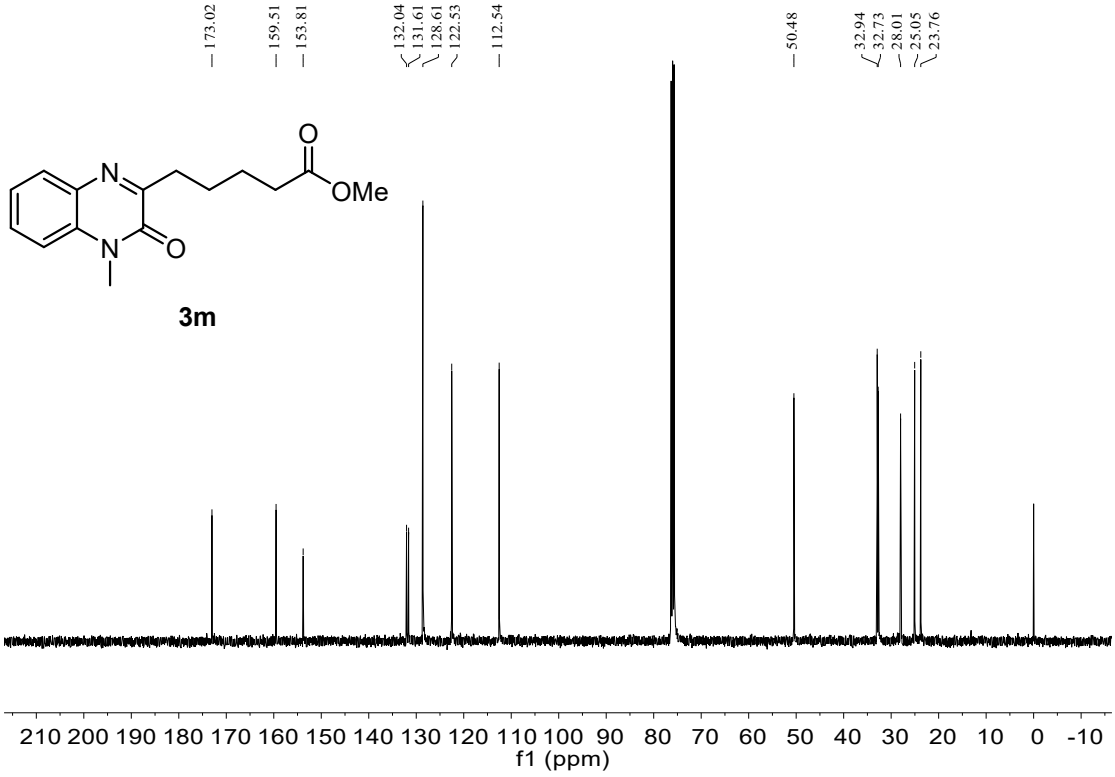


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3l

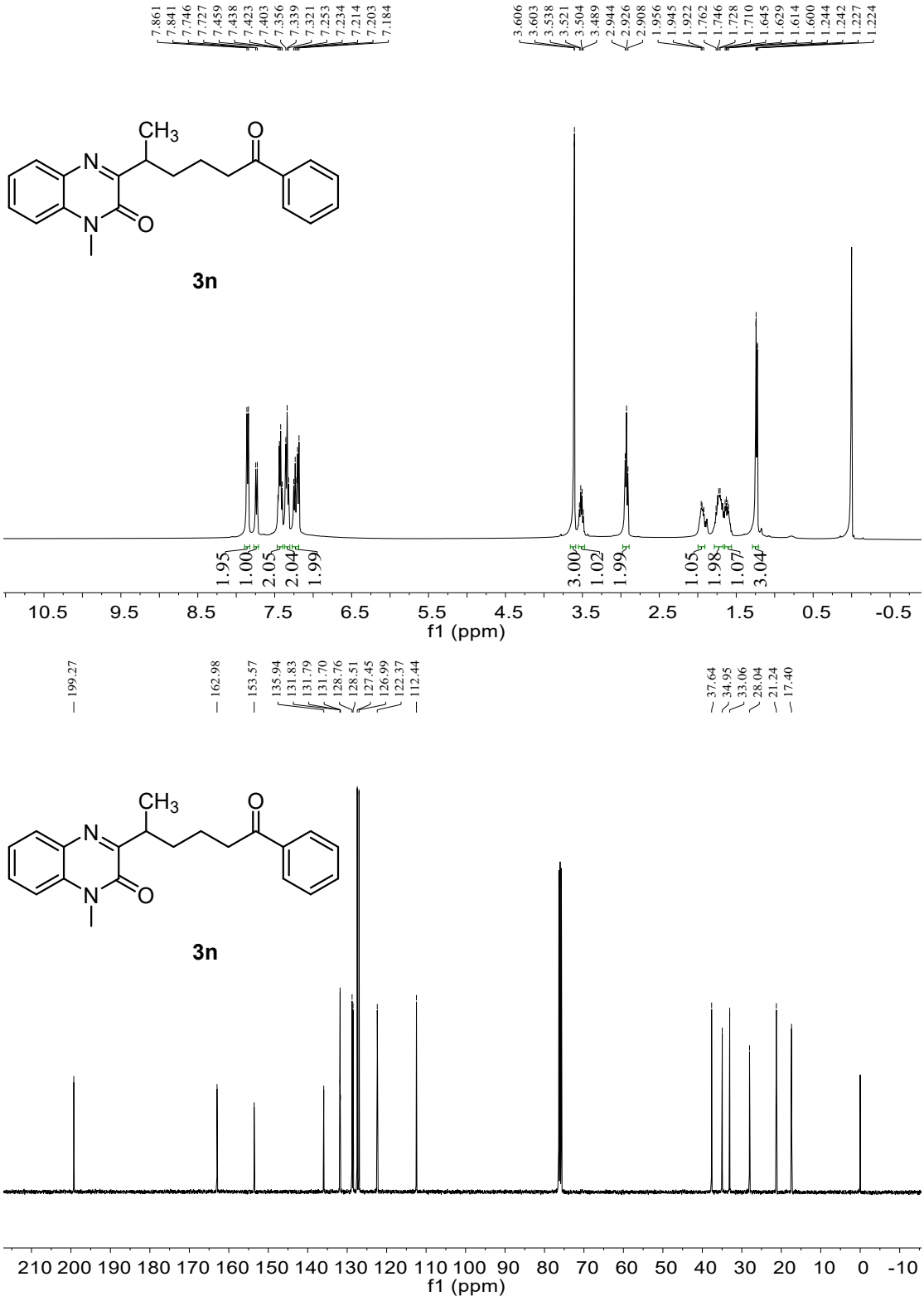


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3m

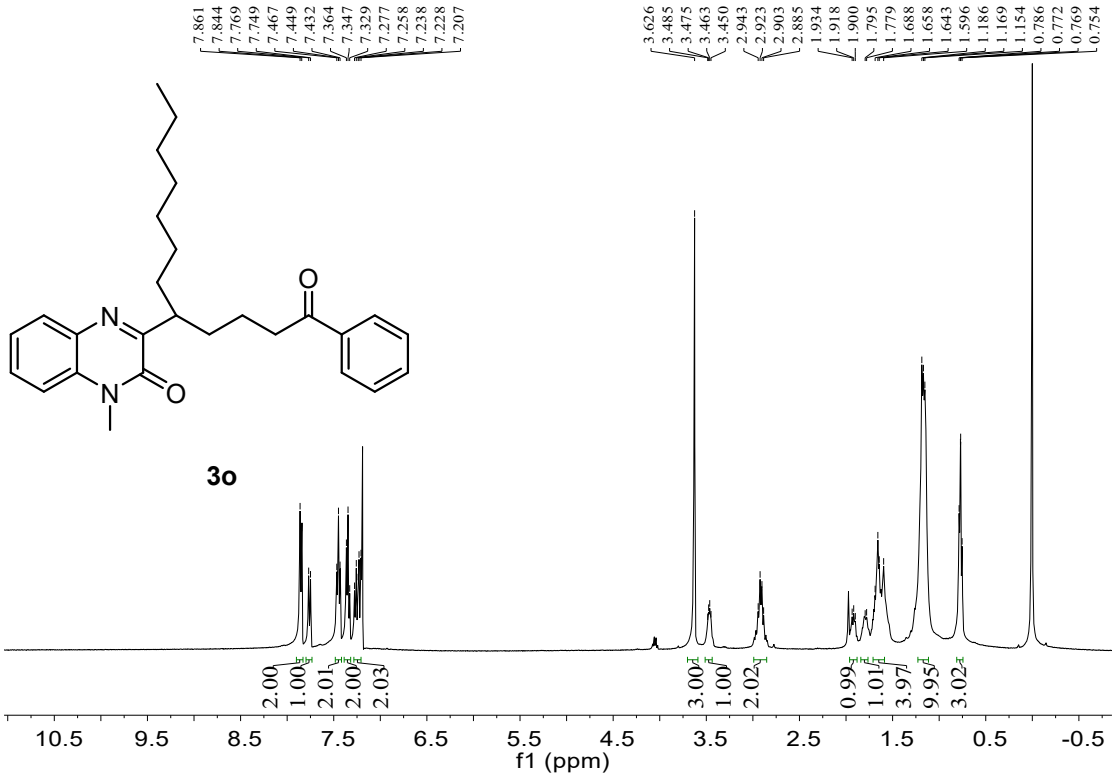


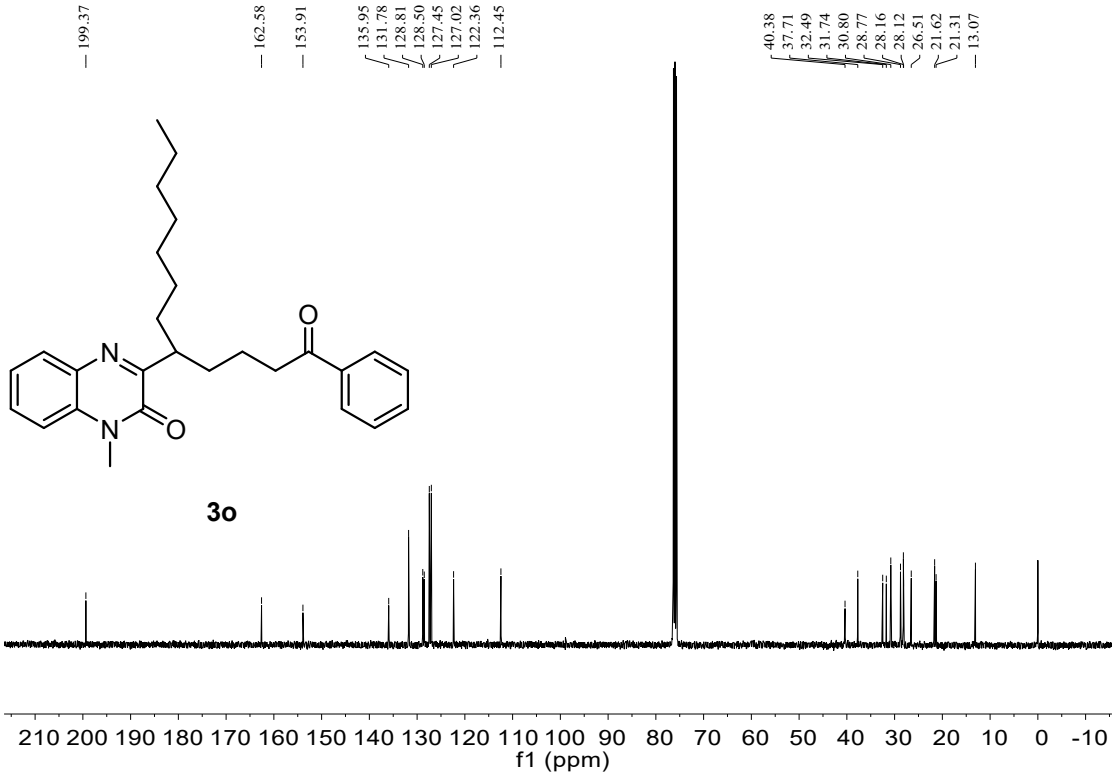


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3n

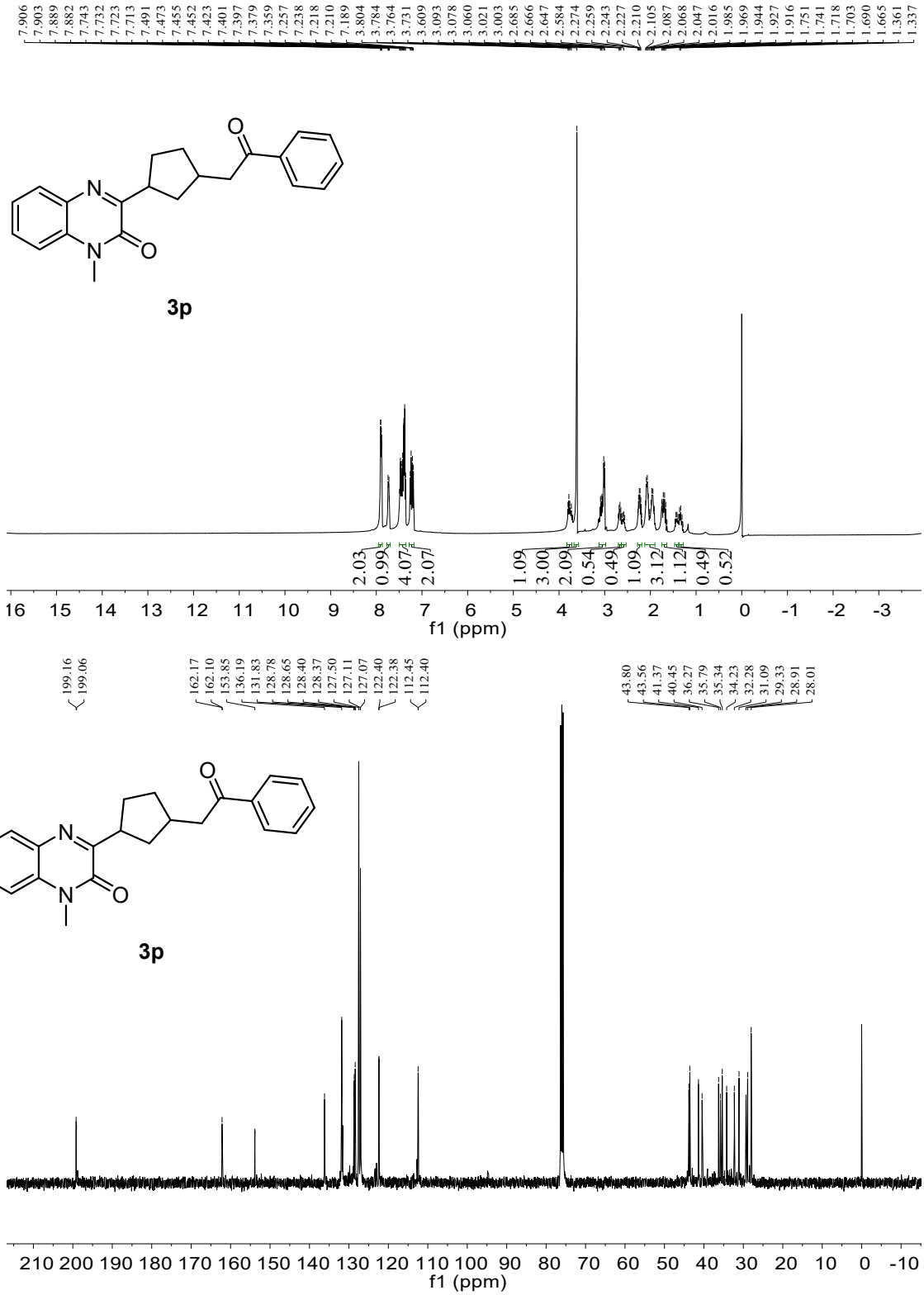


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3o

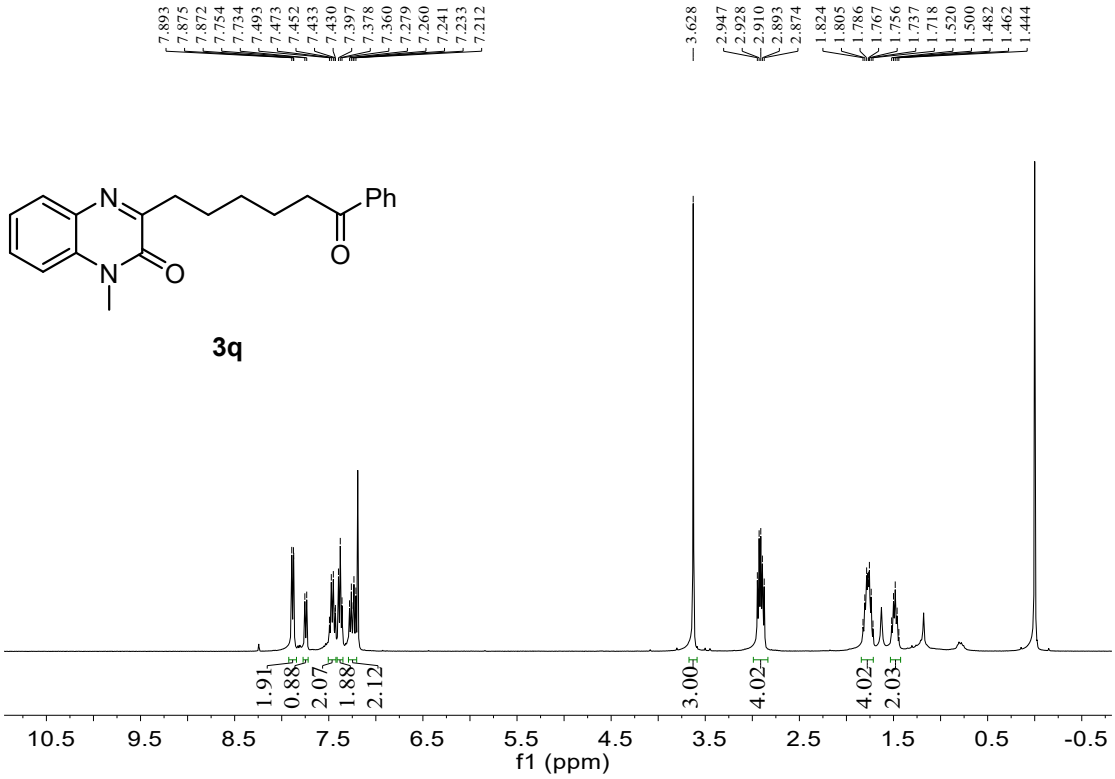


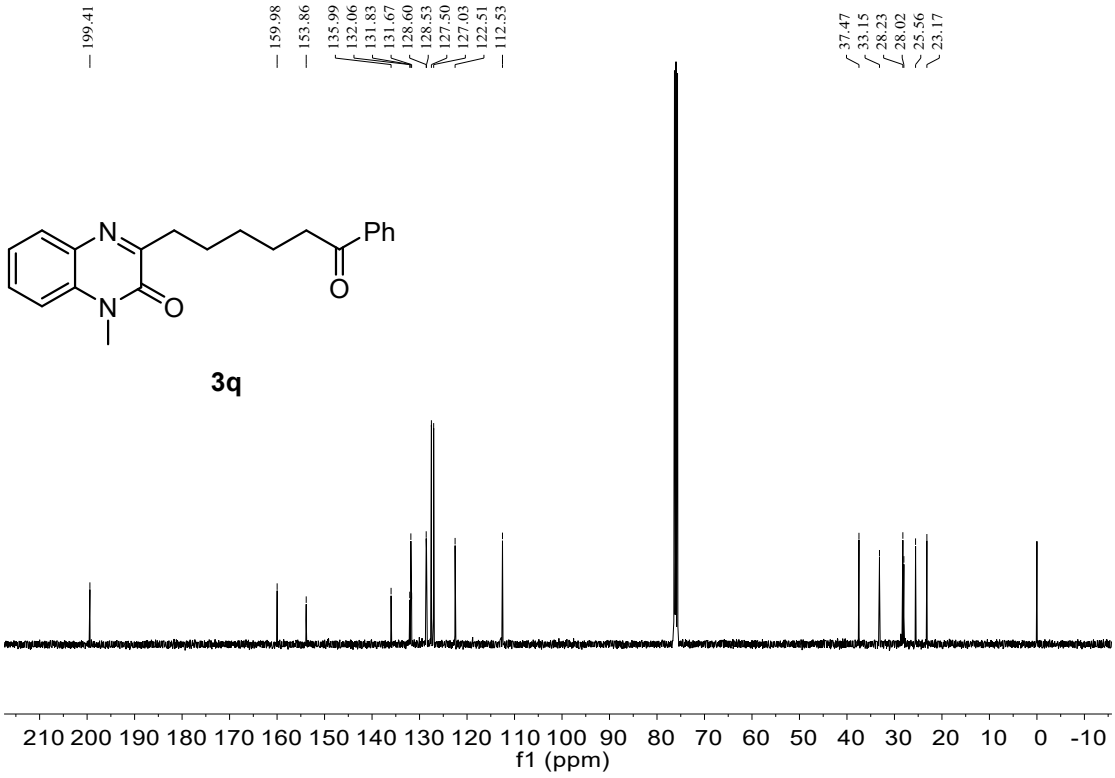


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3p

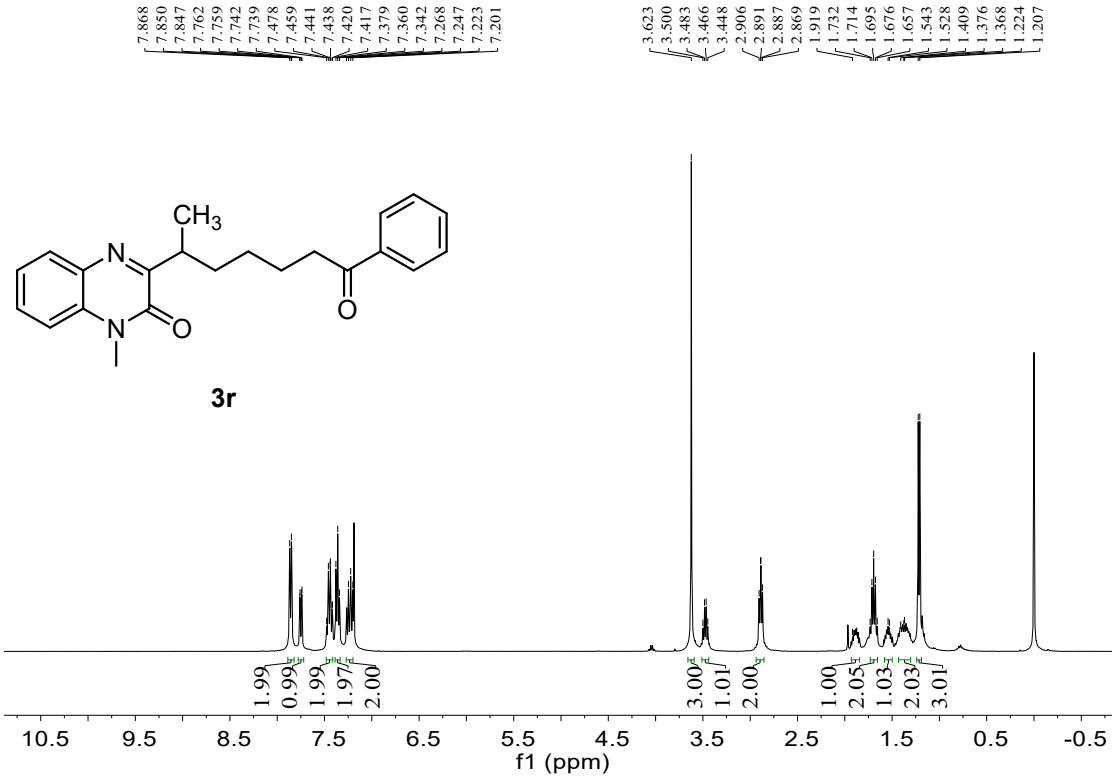


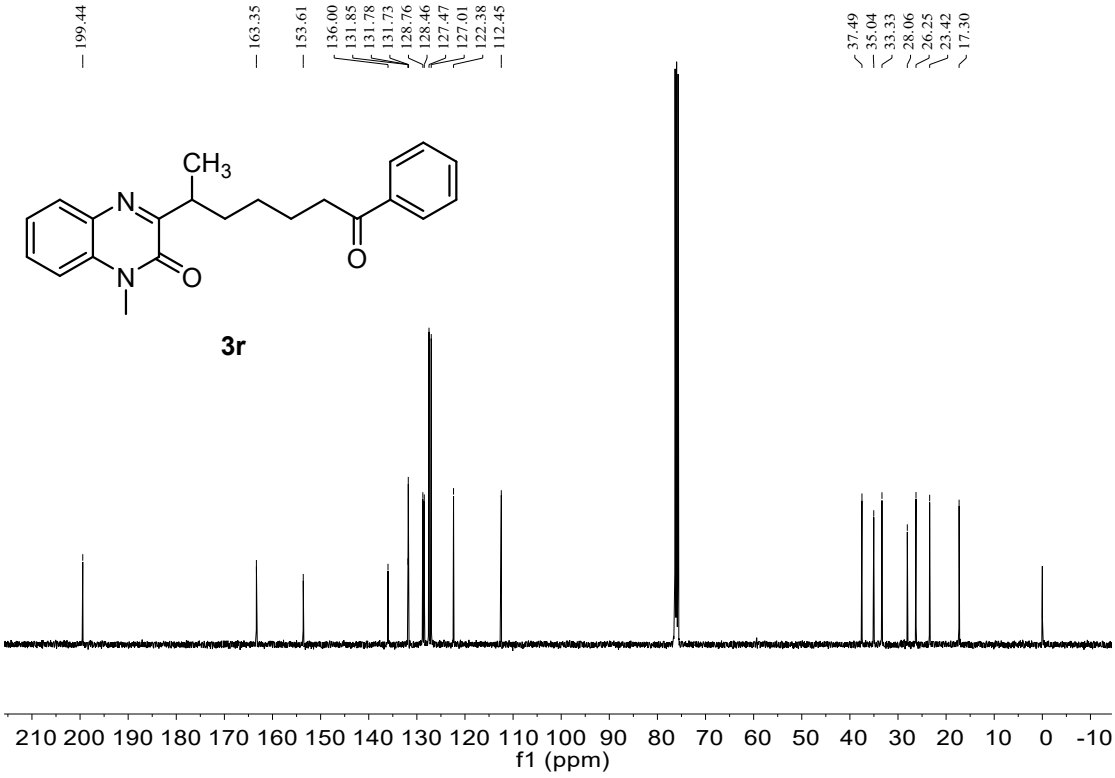
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3q



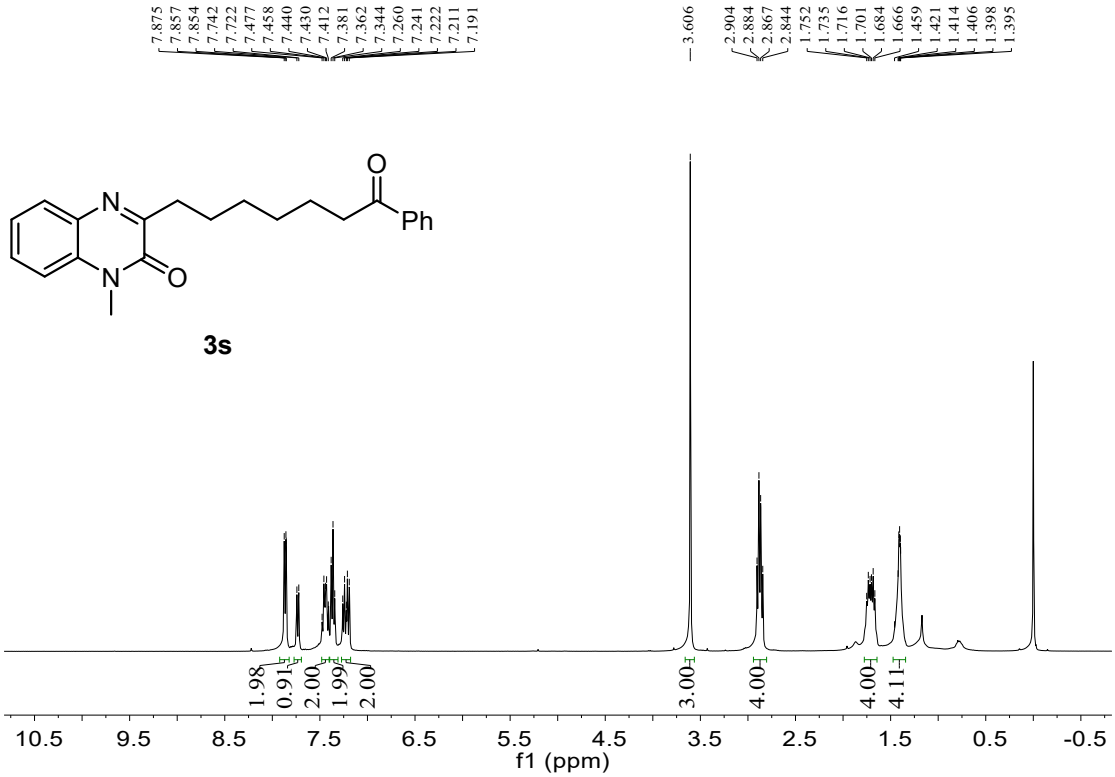


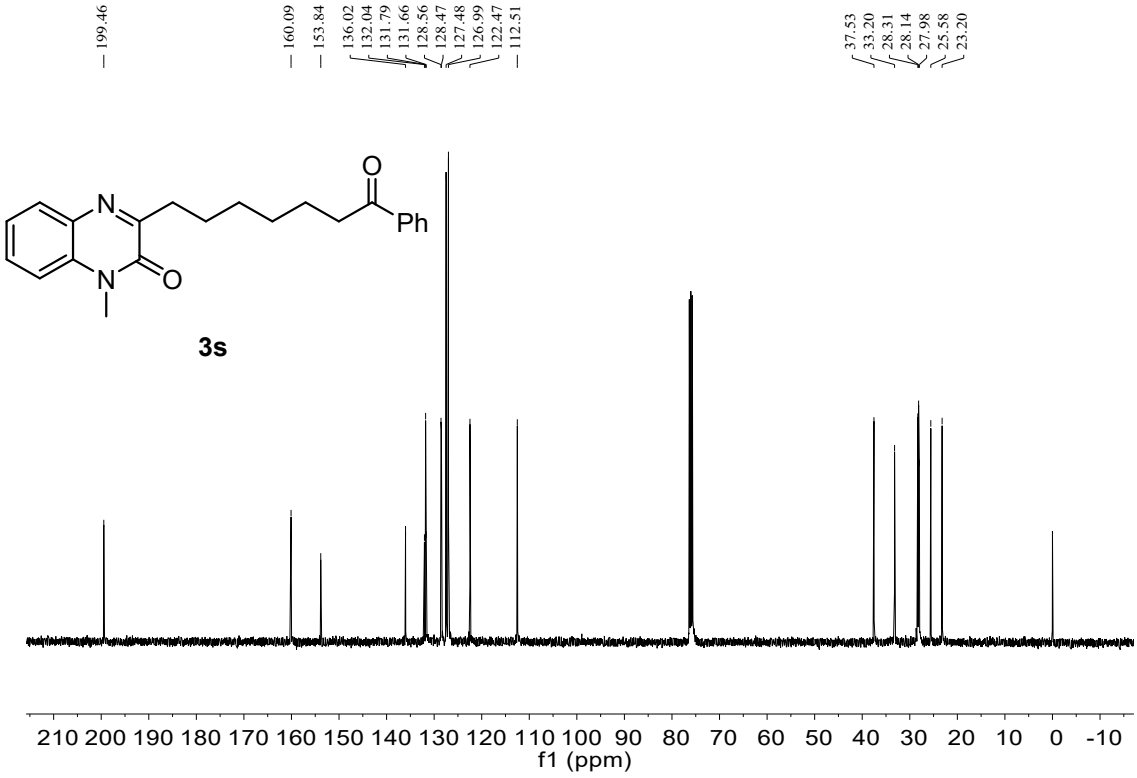
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3r



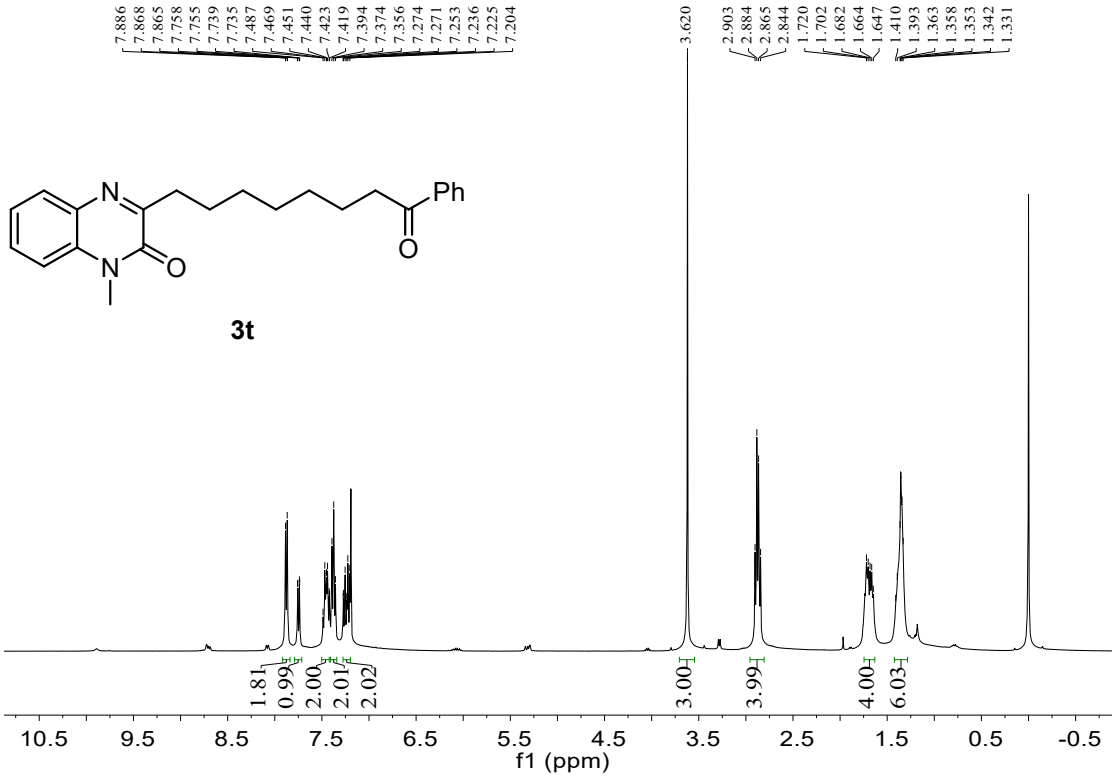


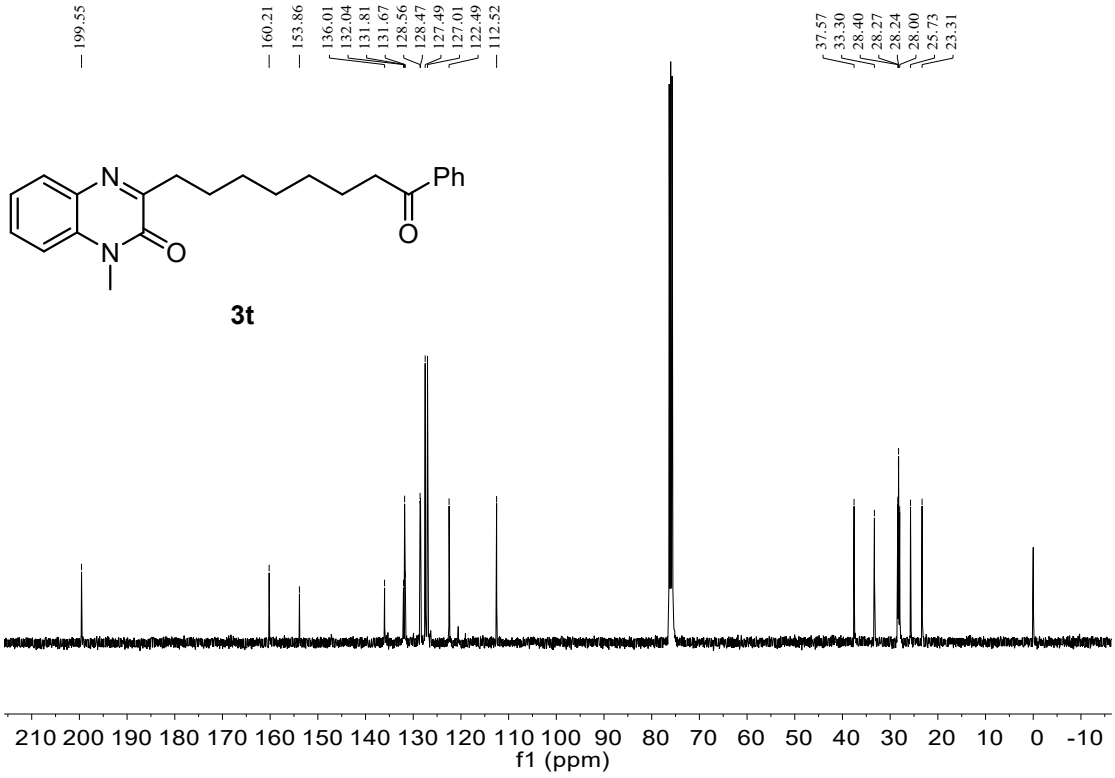
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3s



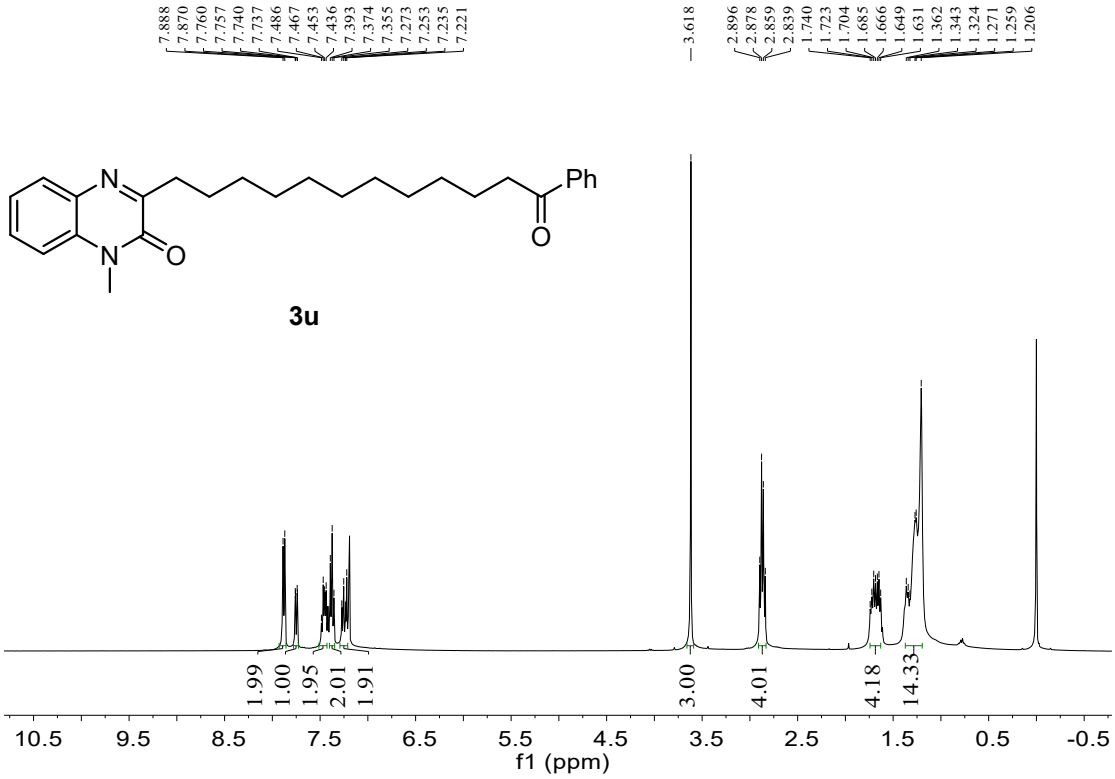


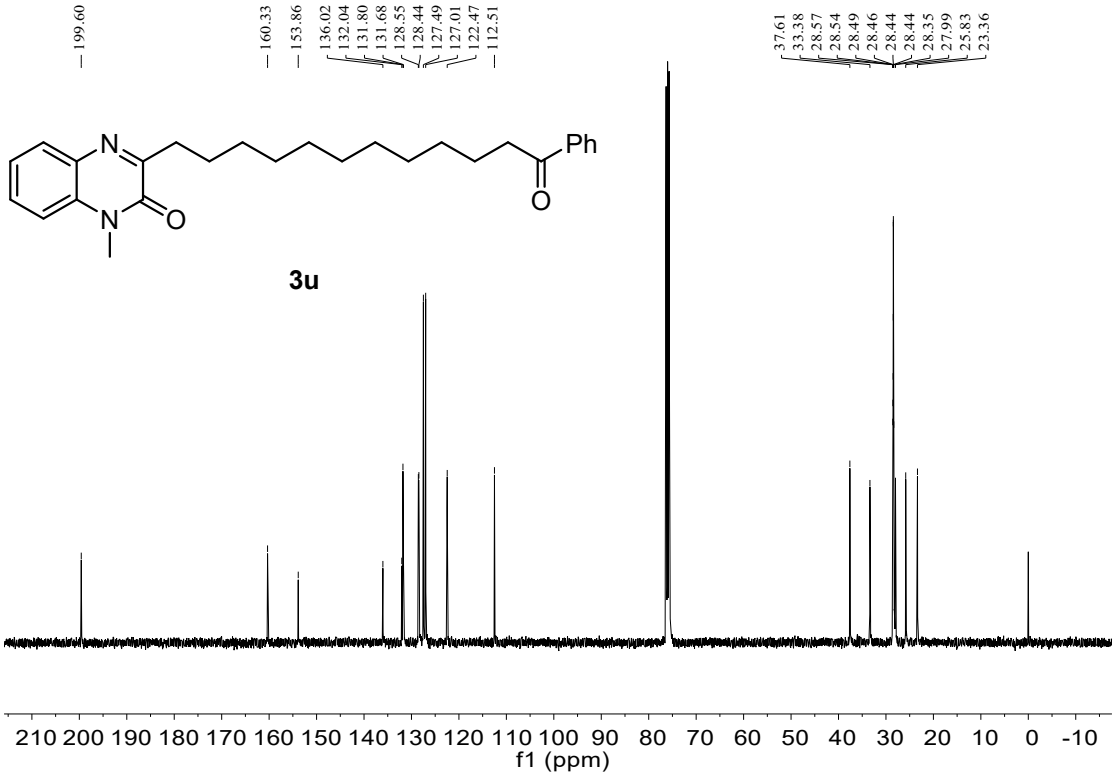
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3t



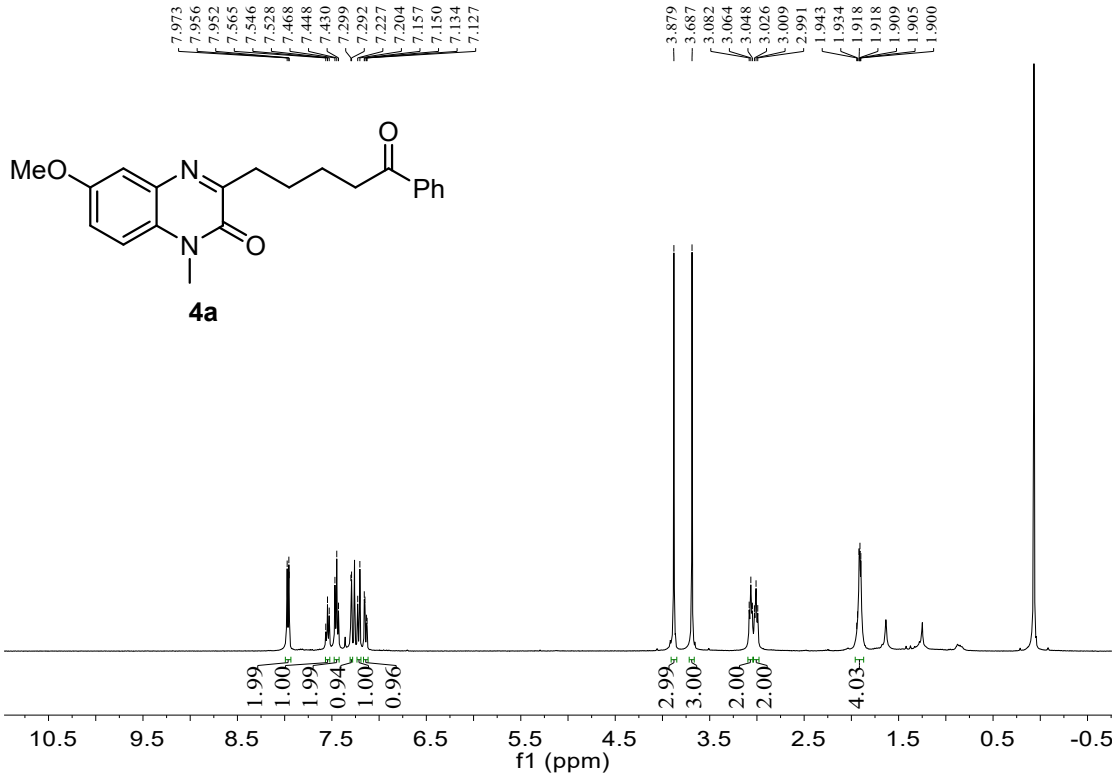


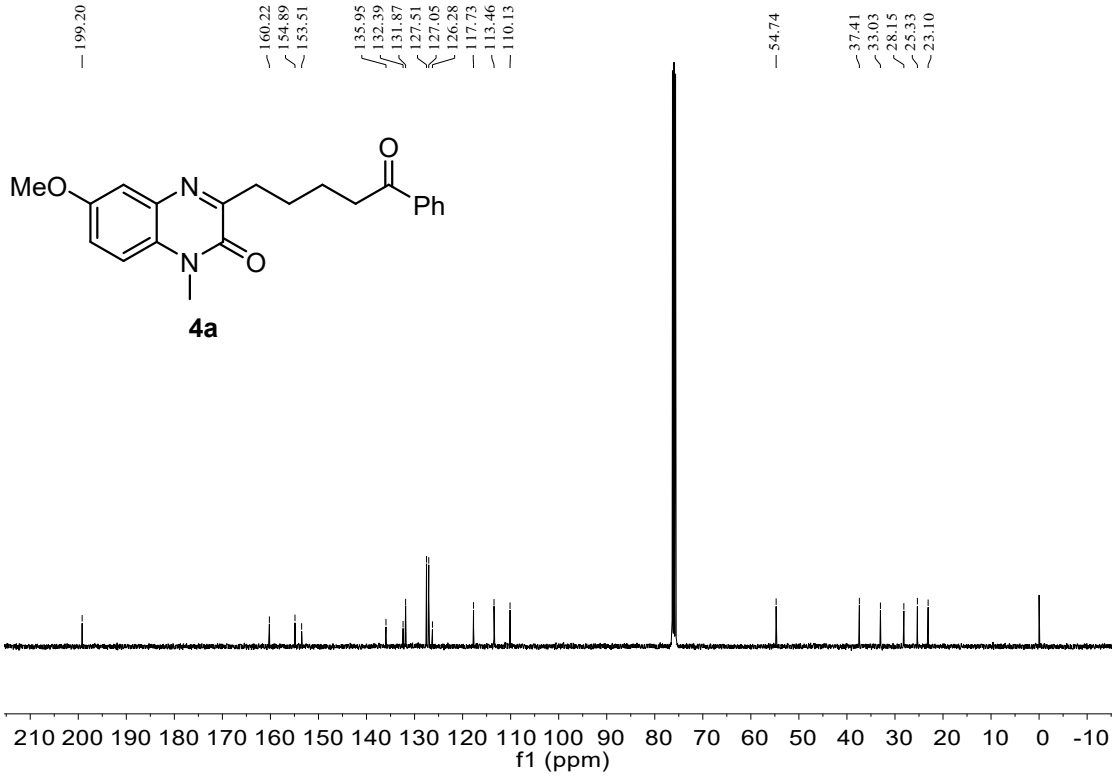
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 3u



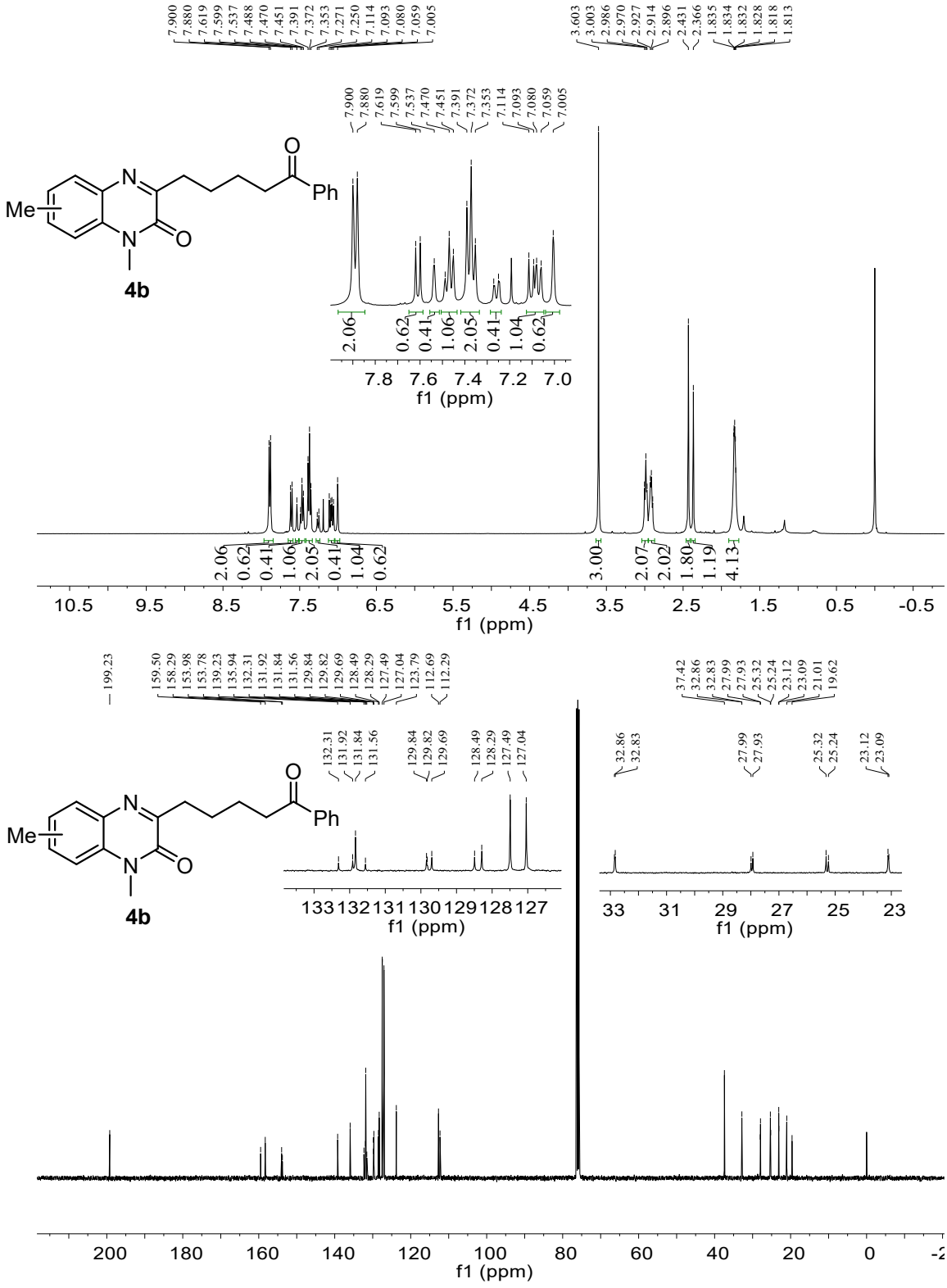


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4a

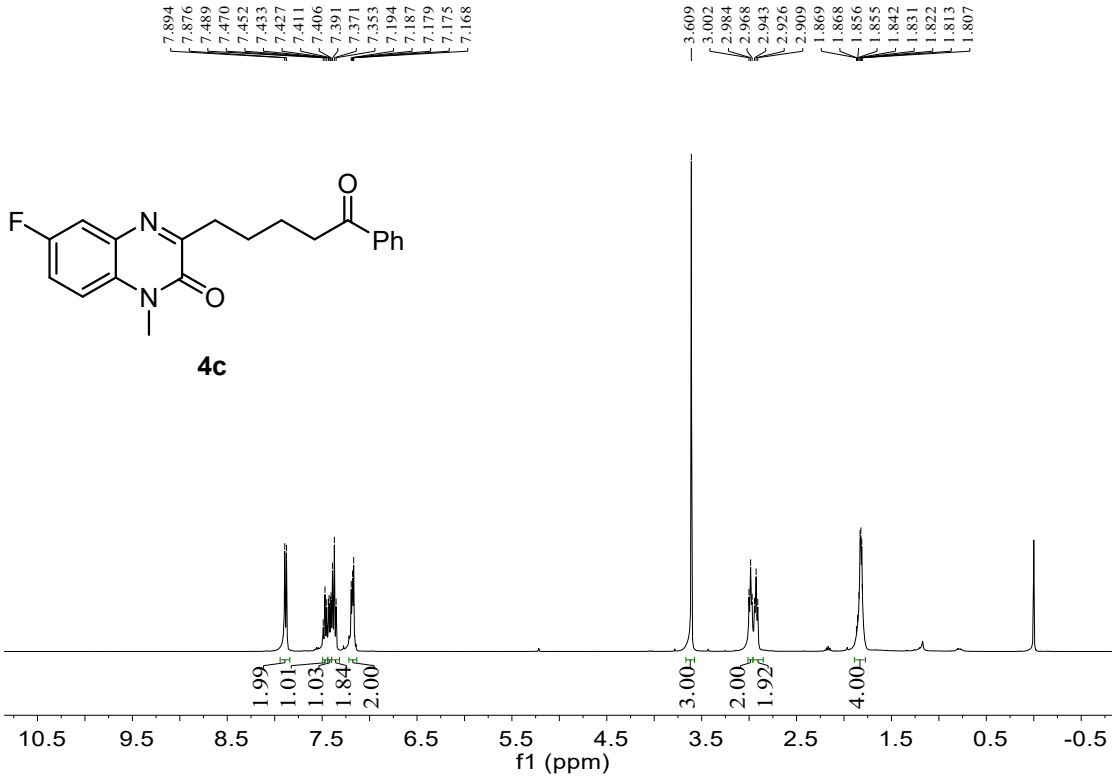


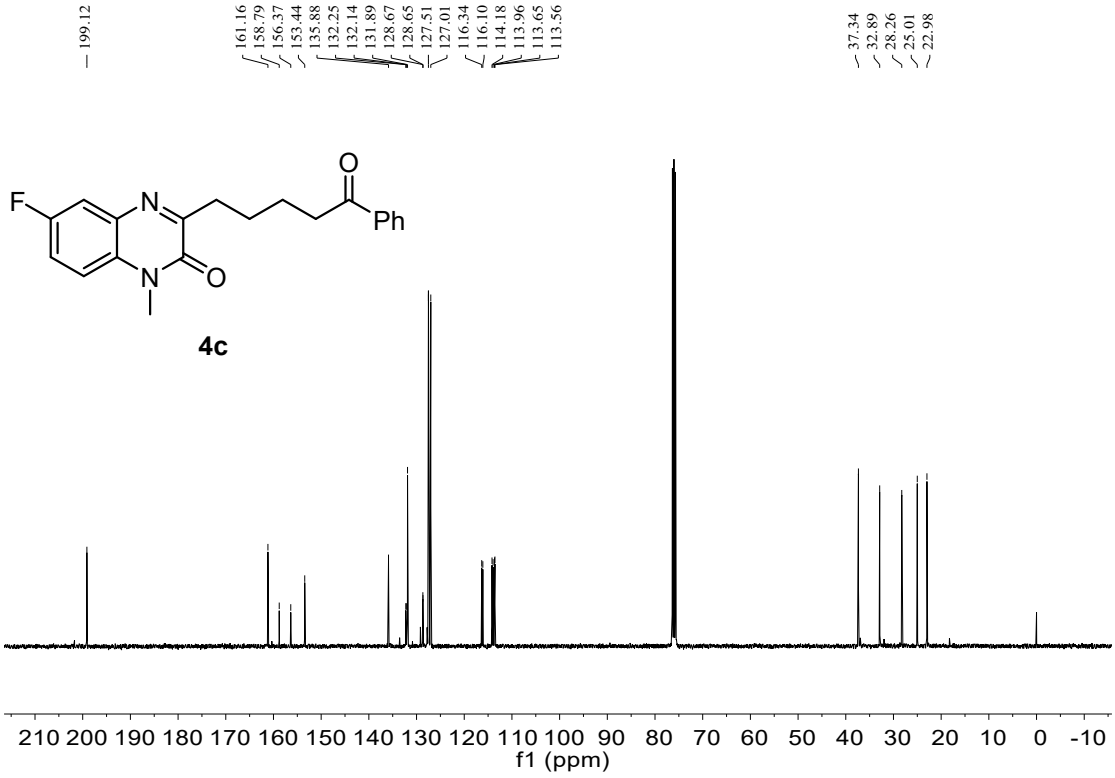


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4b

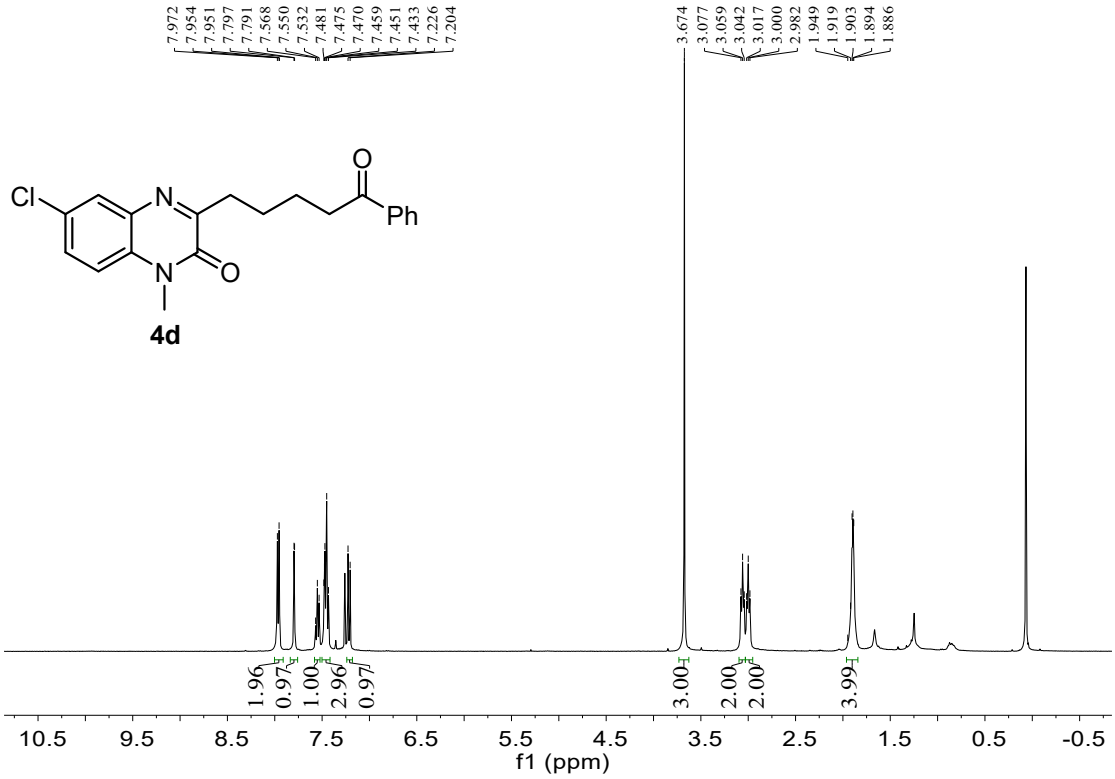


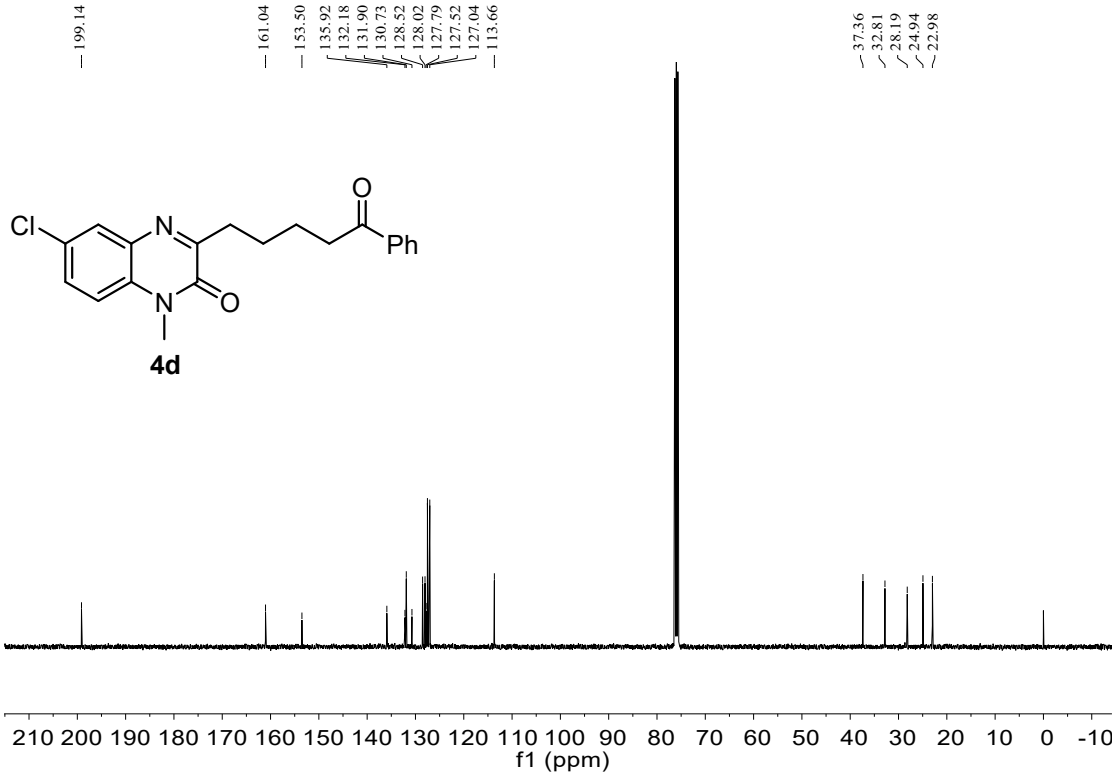
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4c



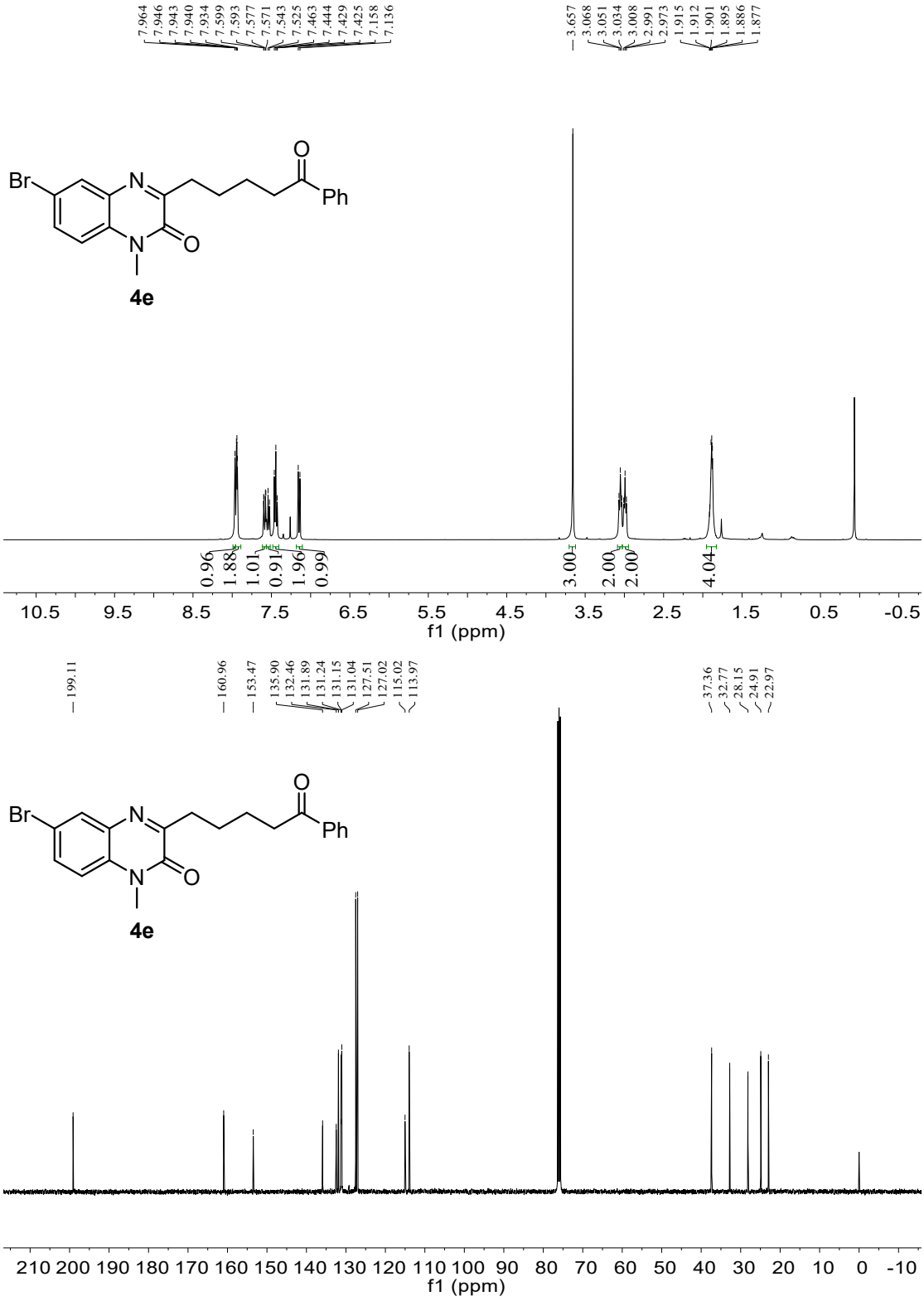


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4d

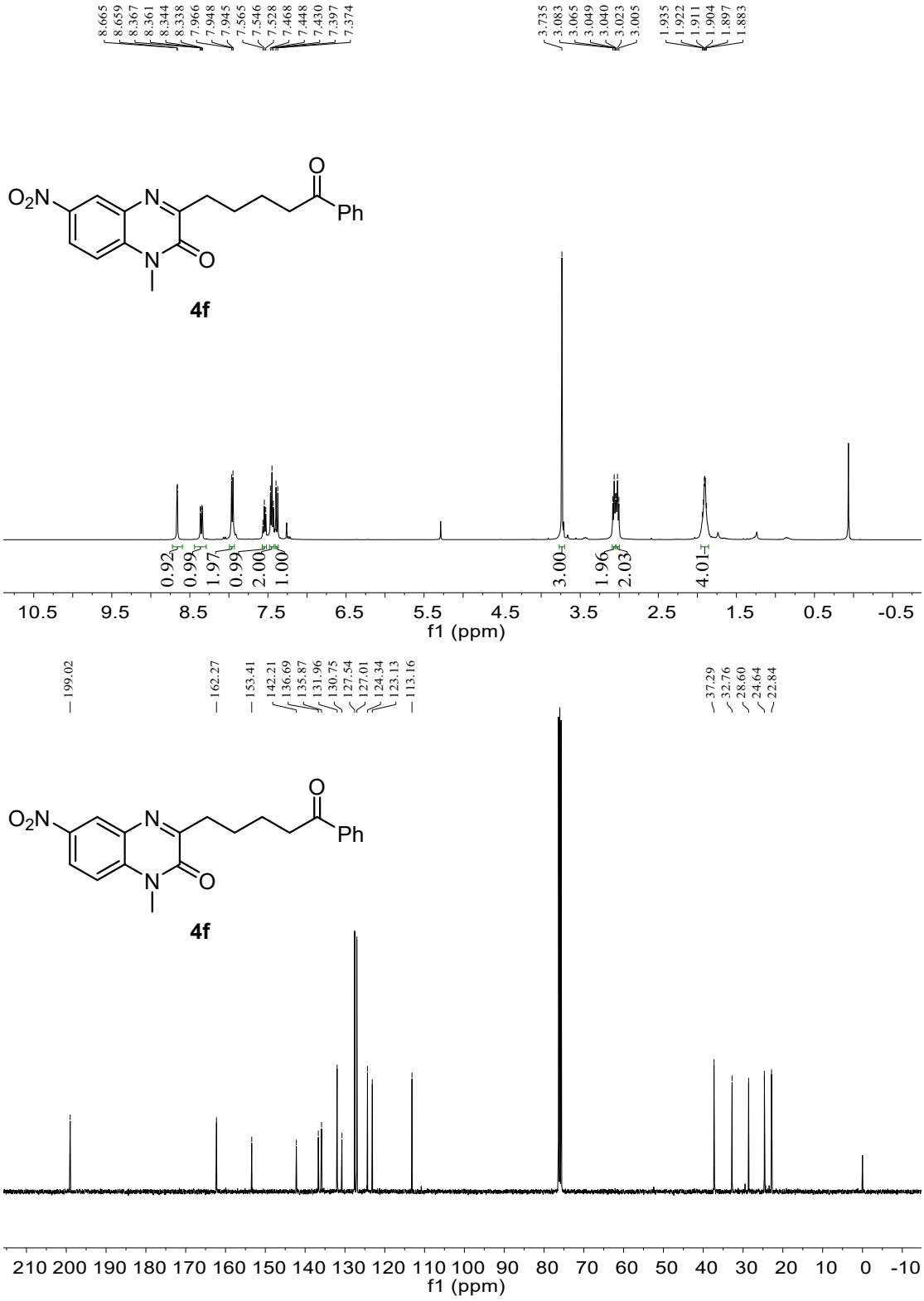




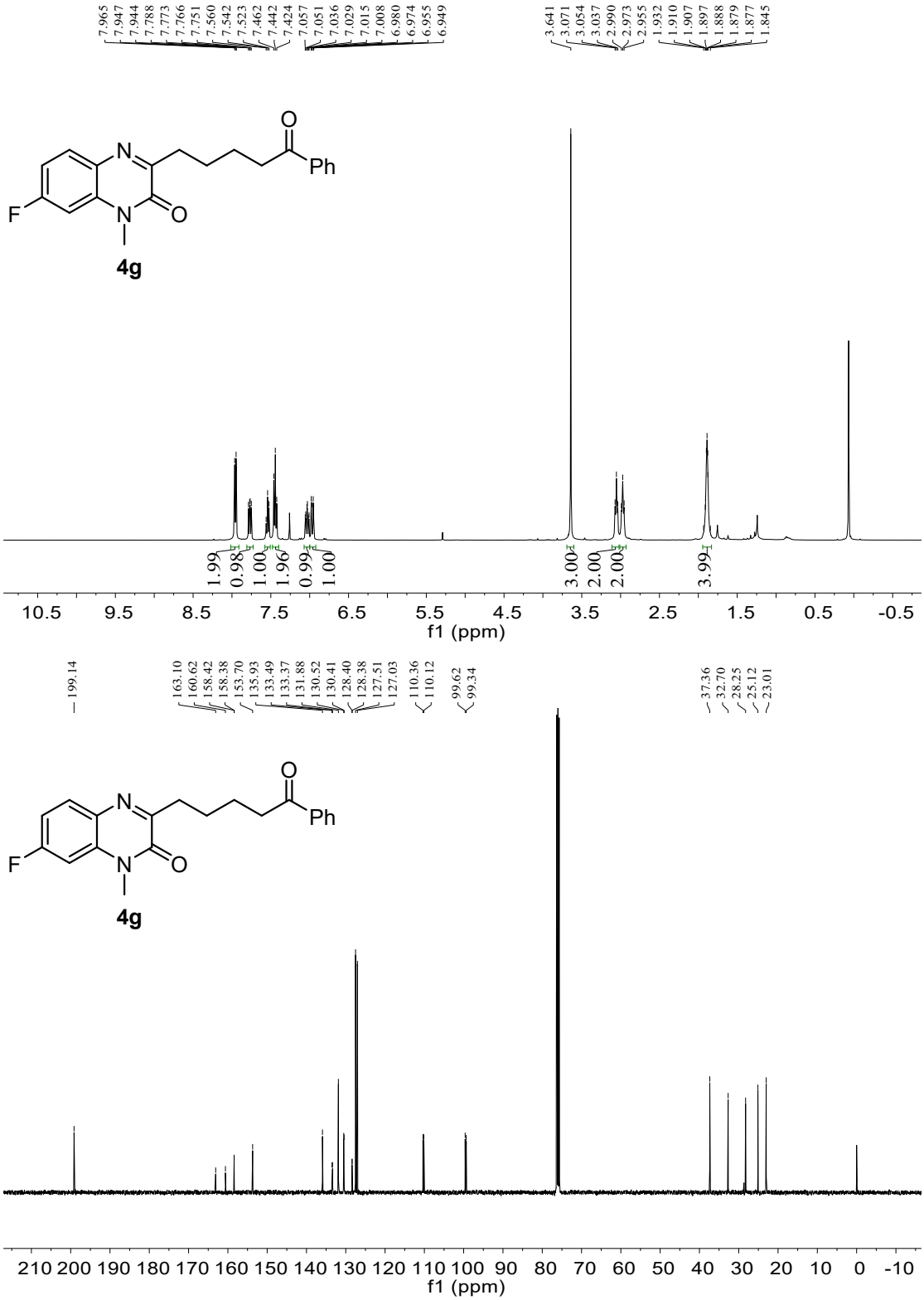
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4e



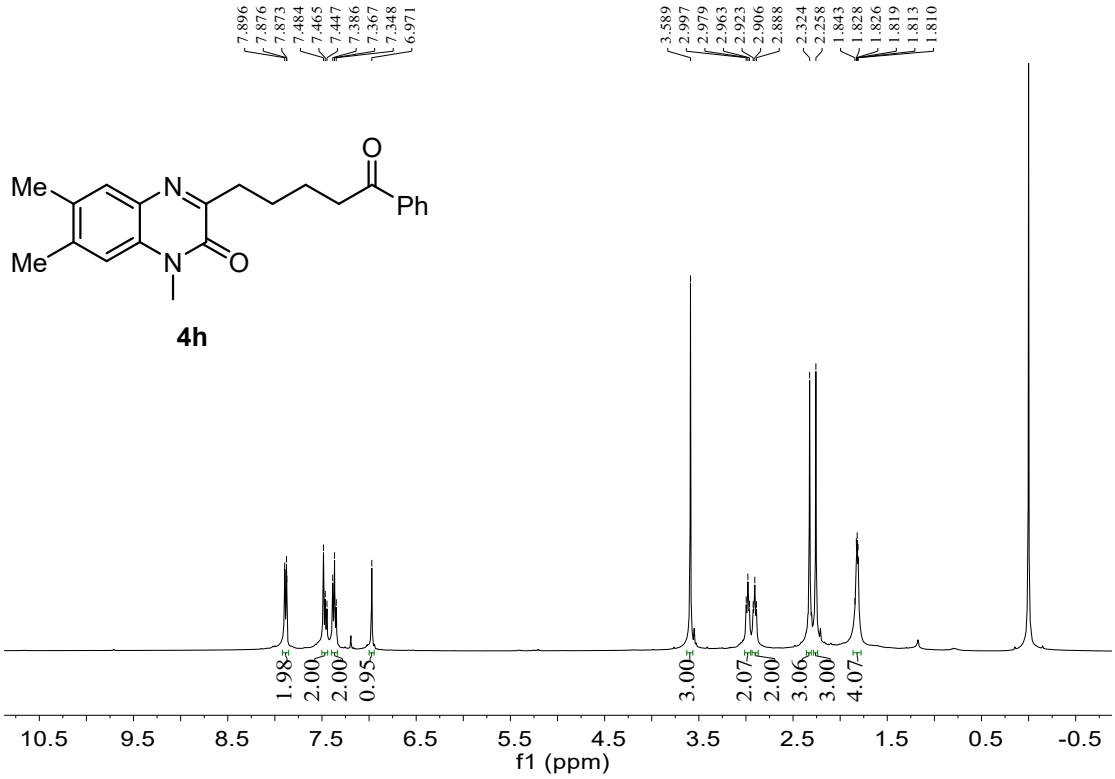
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4f

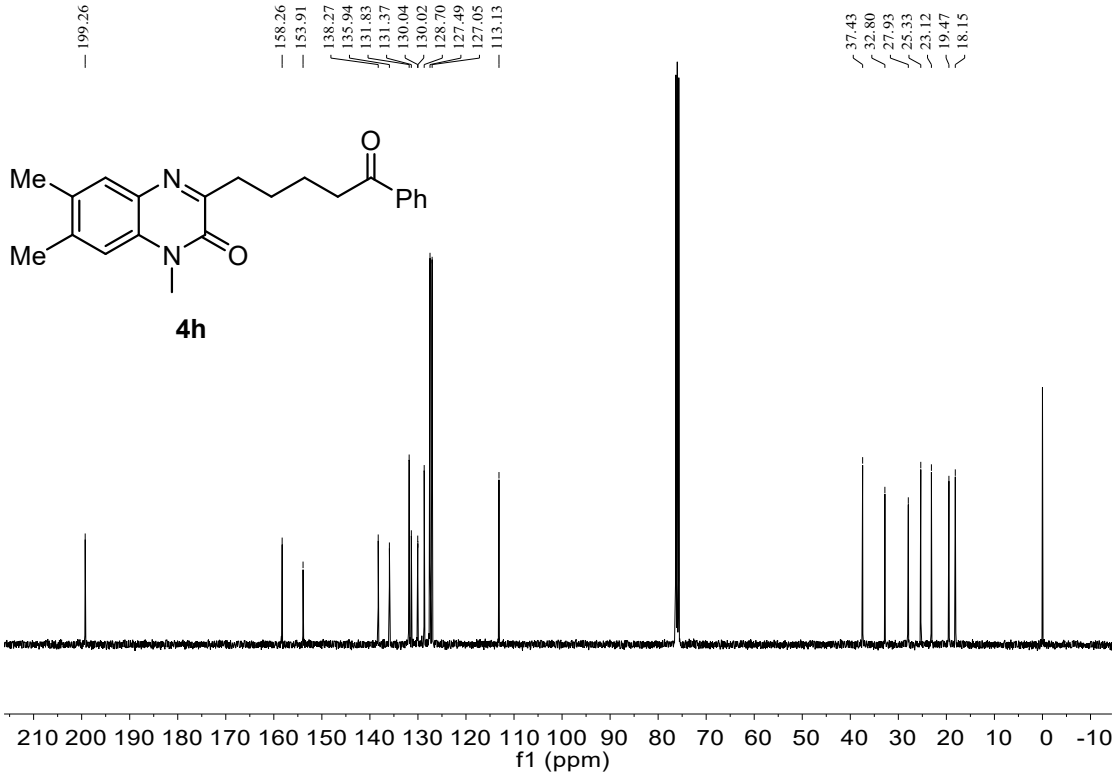


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4g

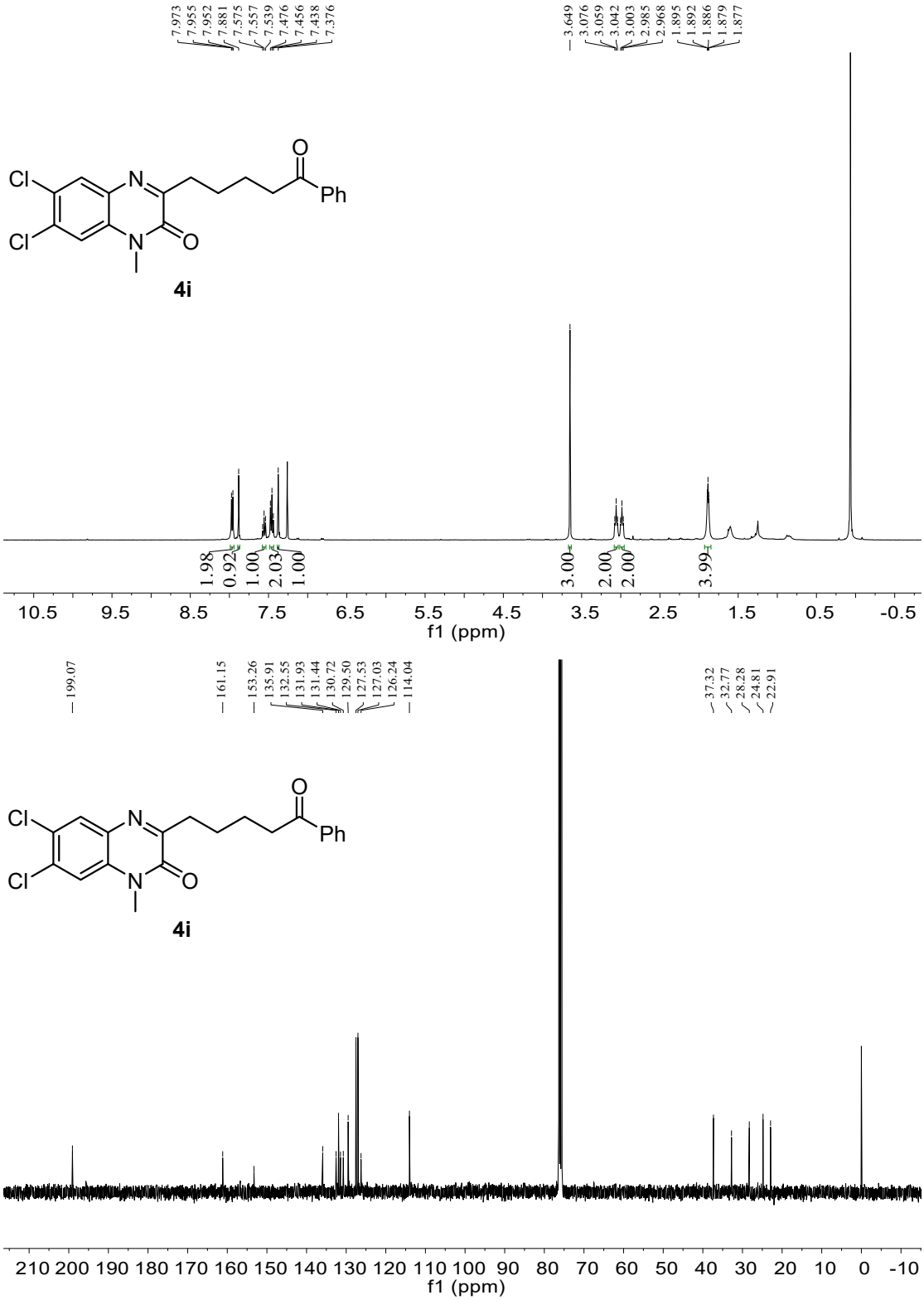


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4h

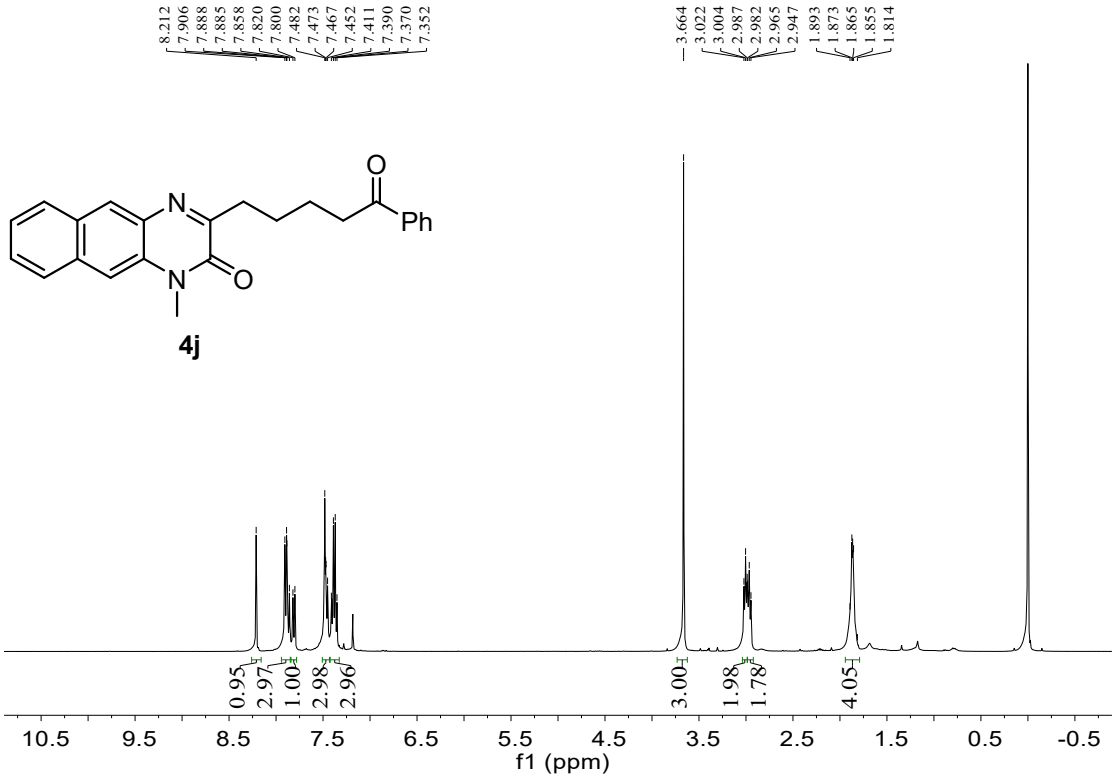


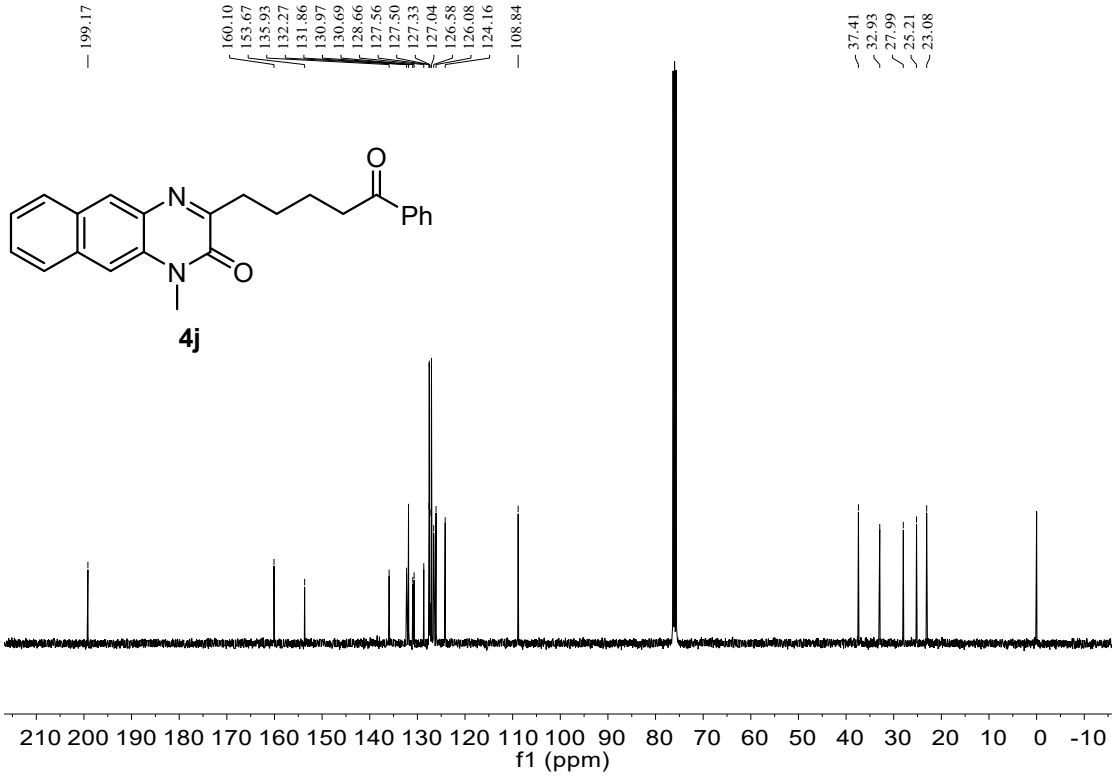


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4i

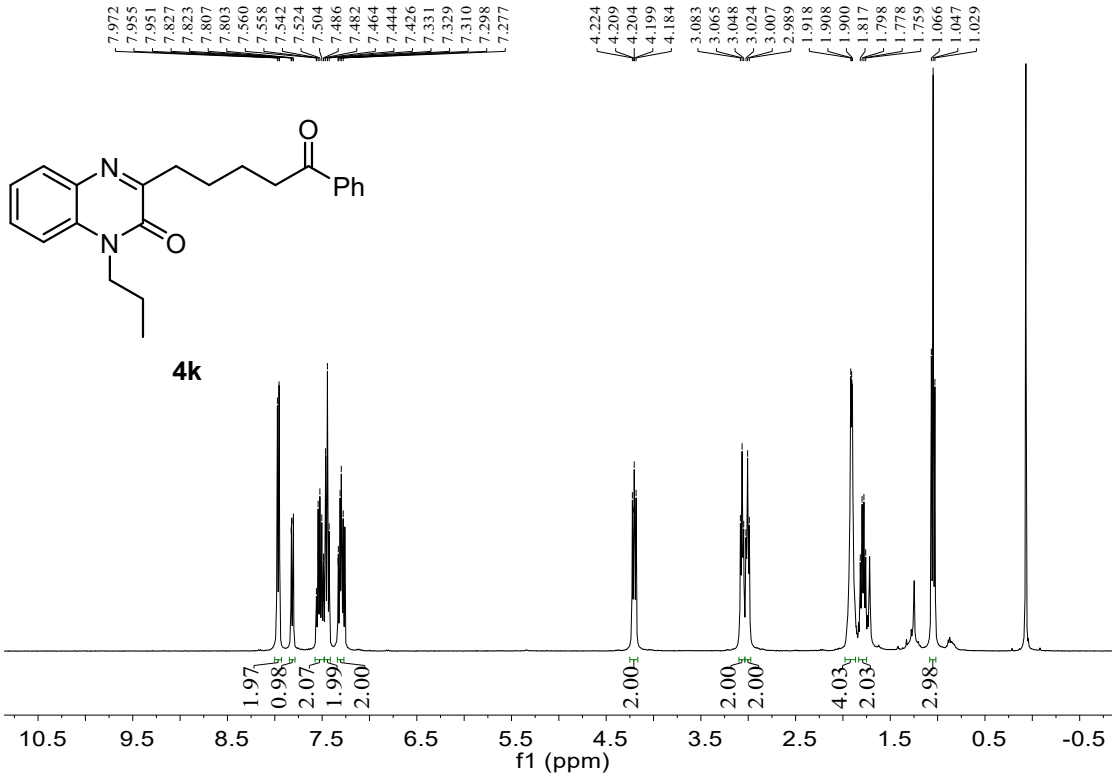


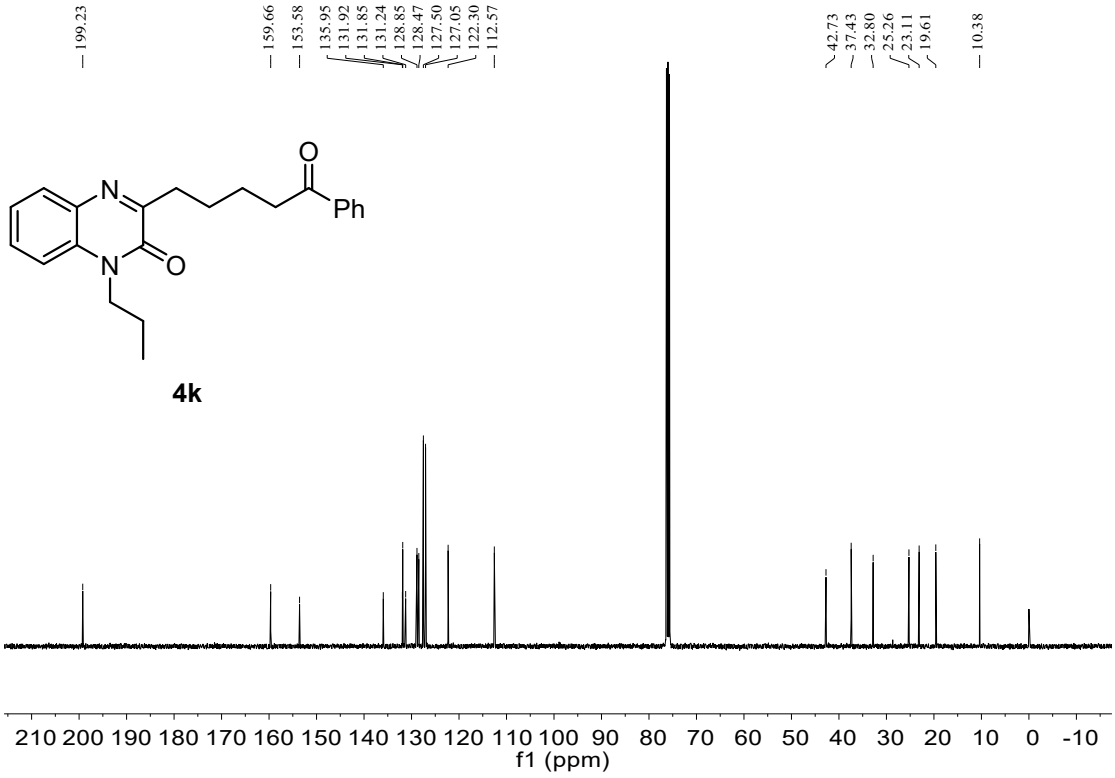
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4j



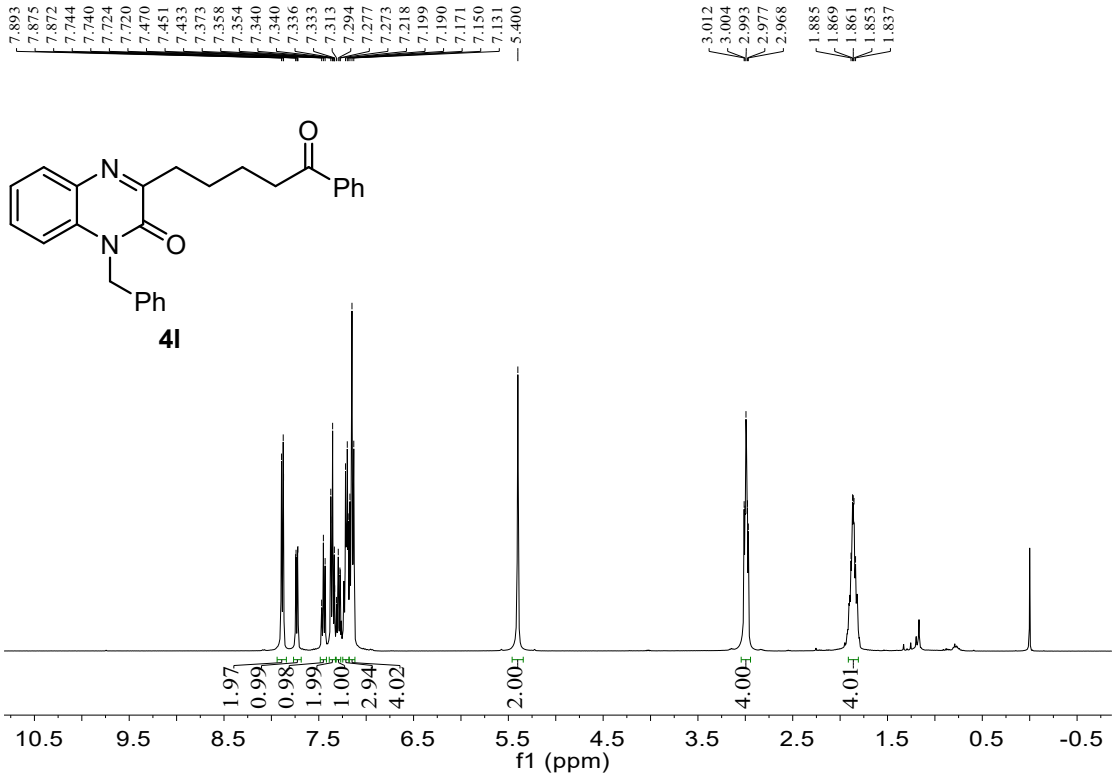


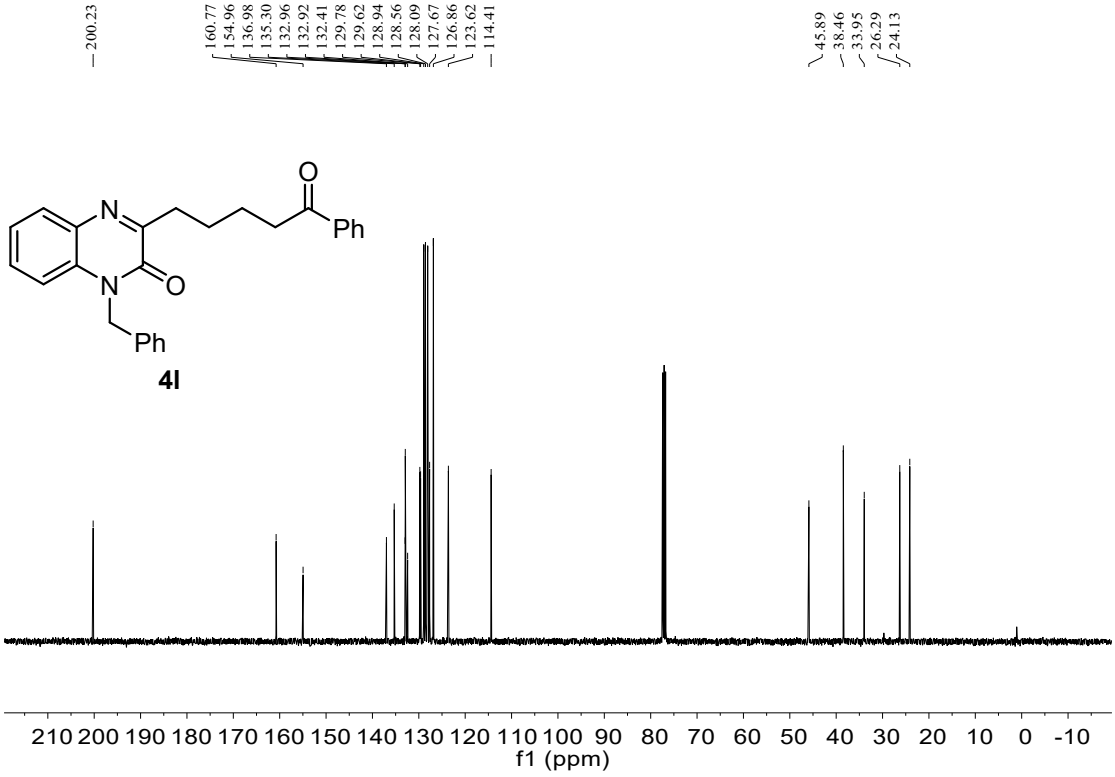
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4k



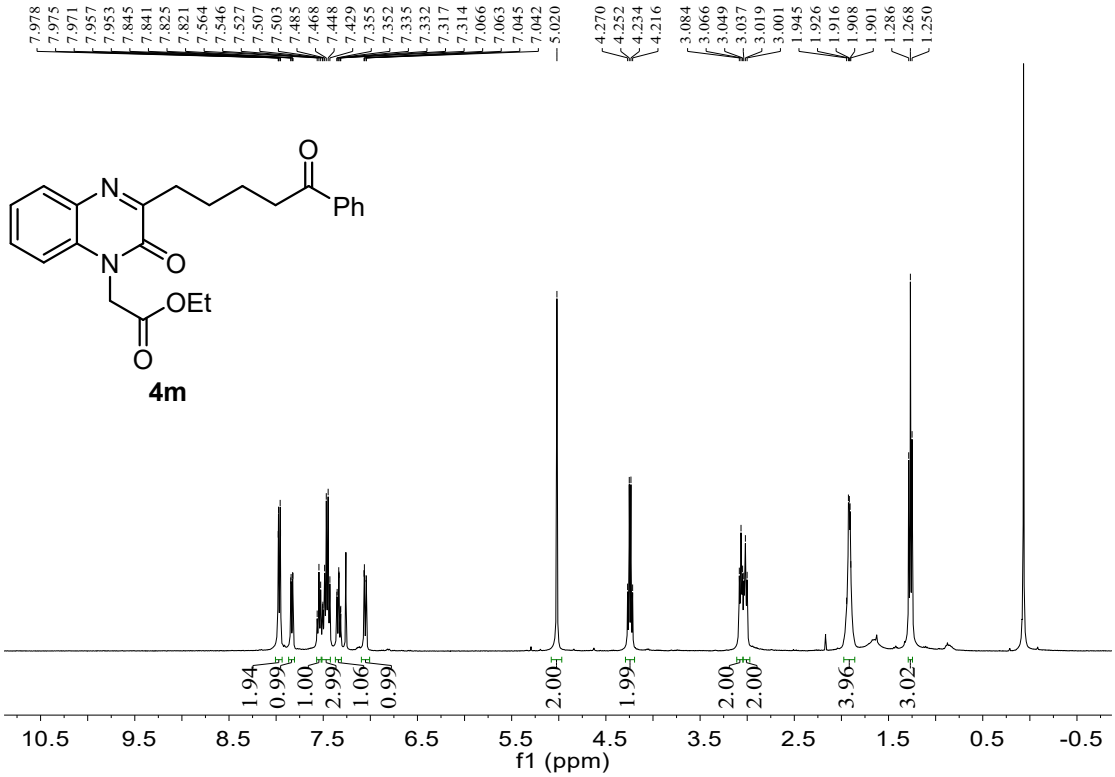


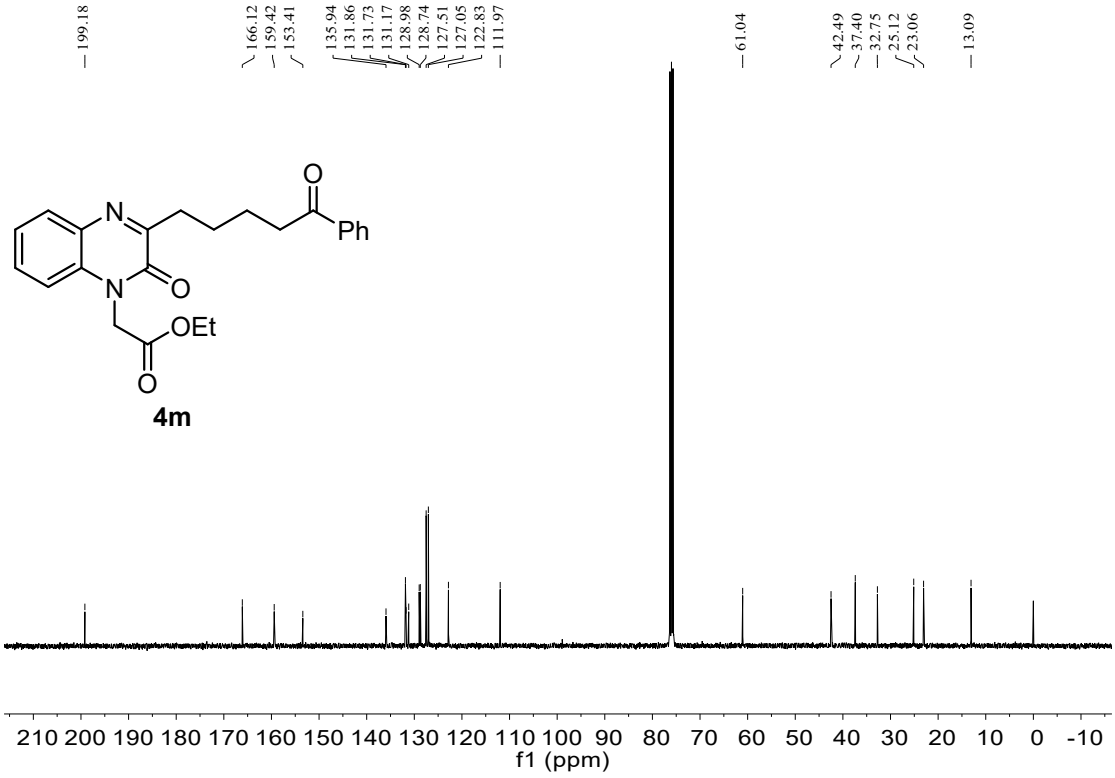
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4l



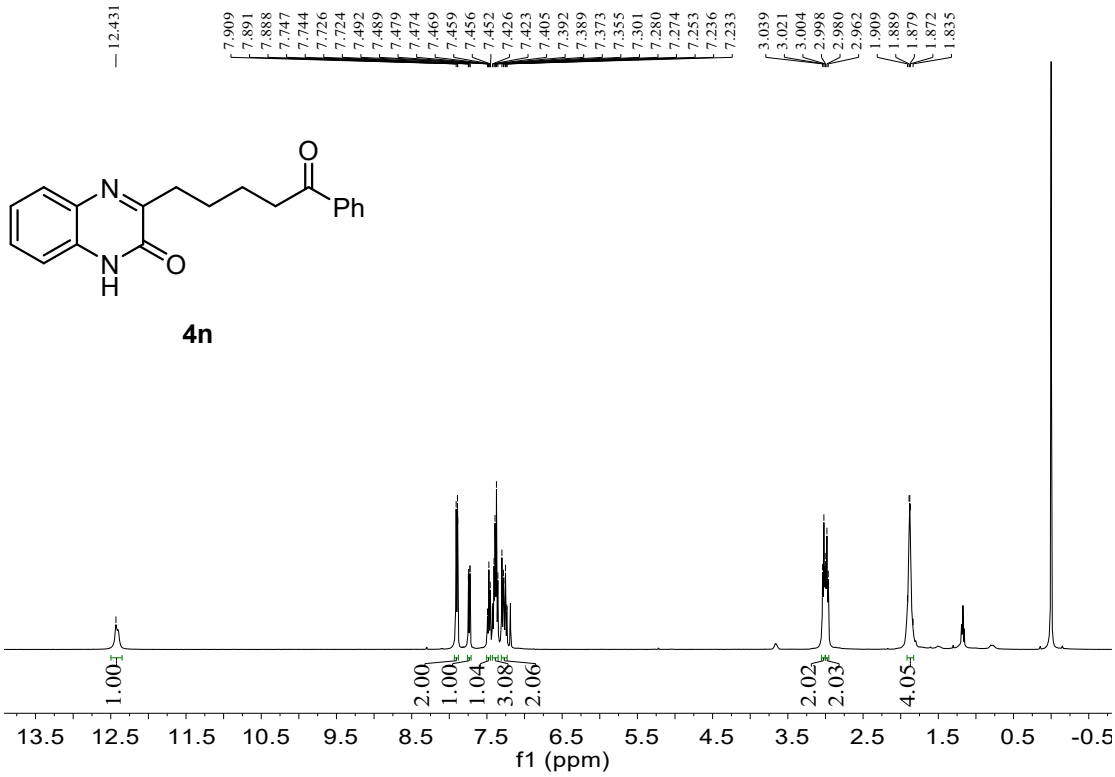


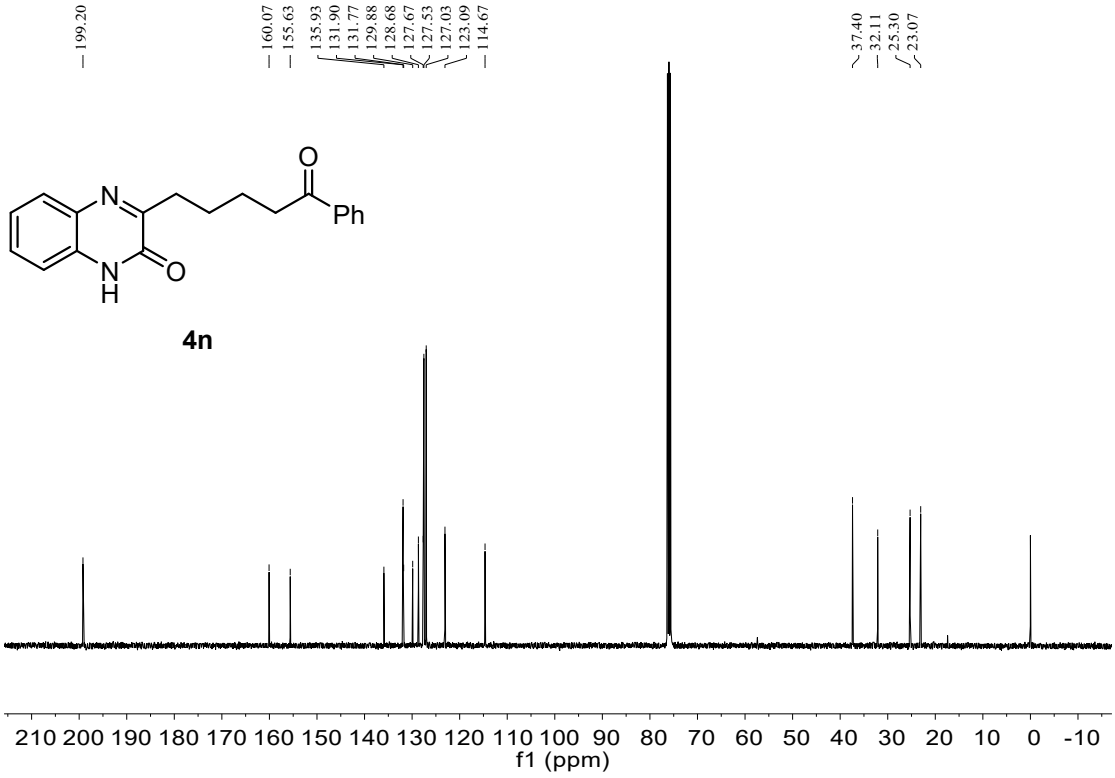
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4m



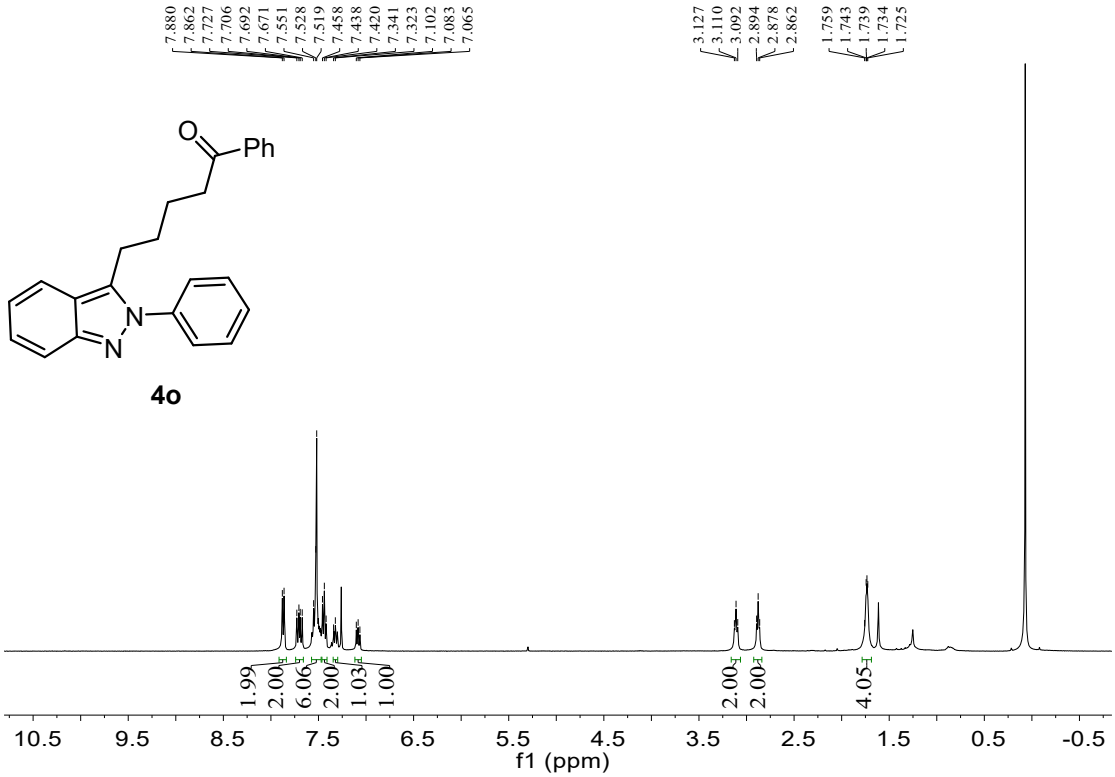


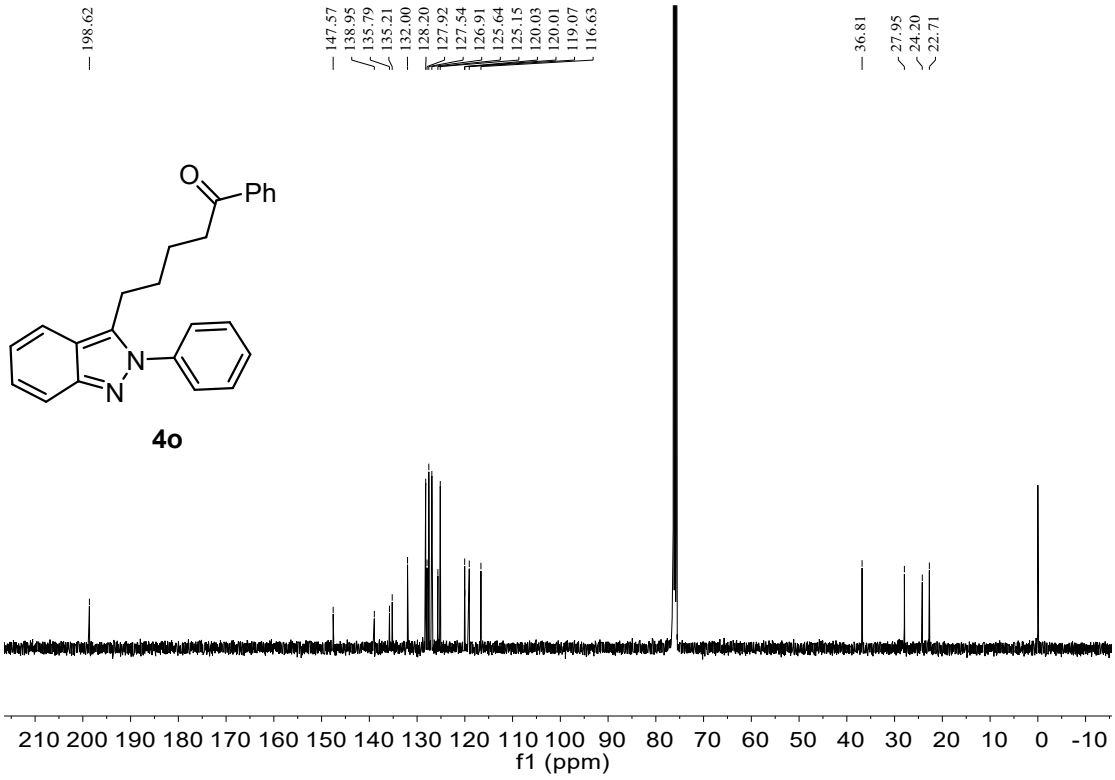
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4n



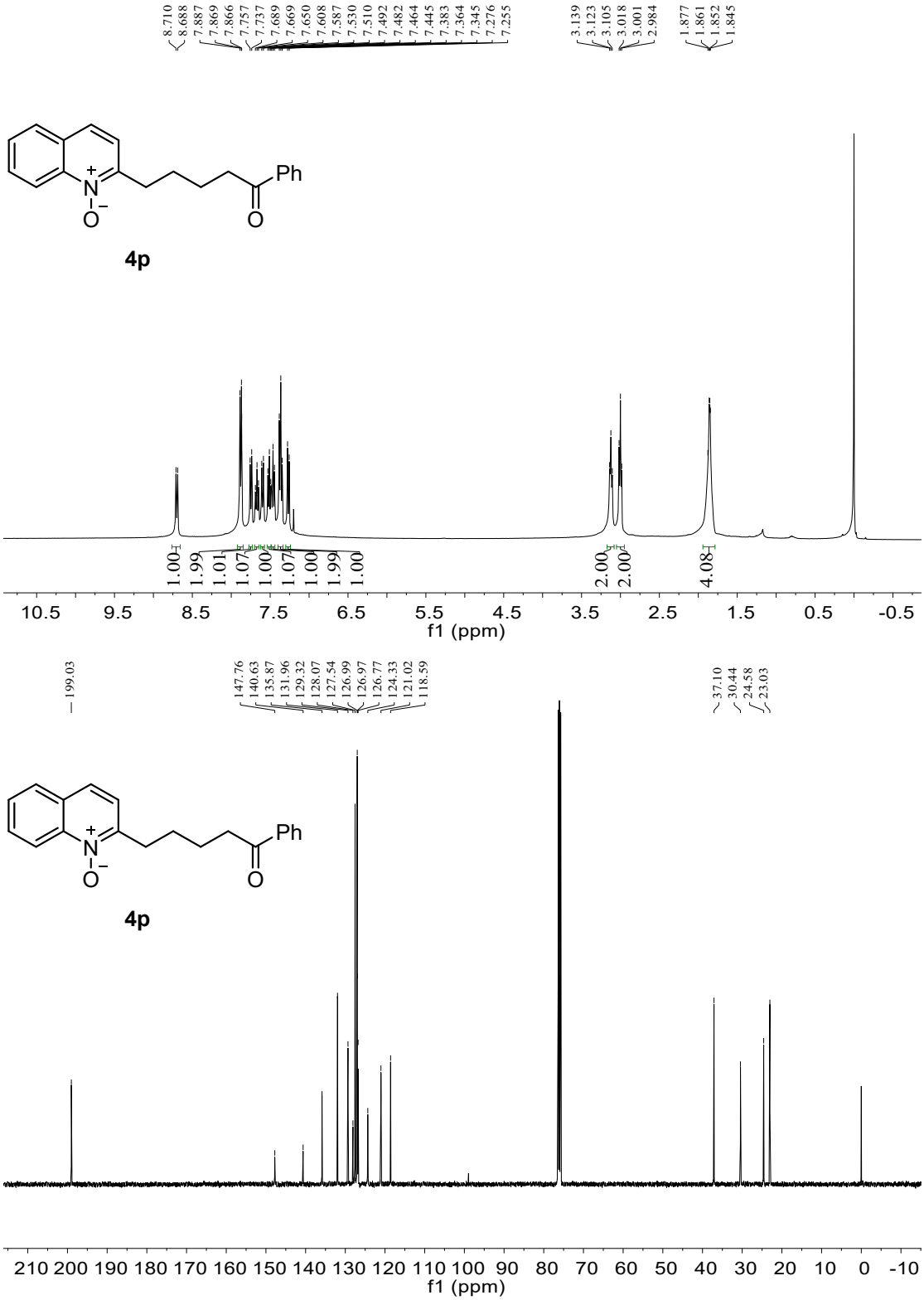


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4o

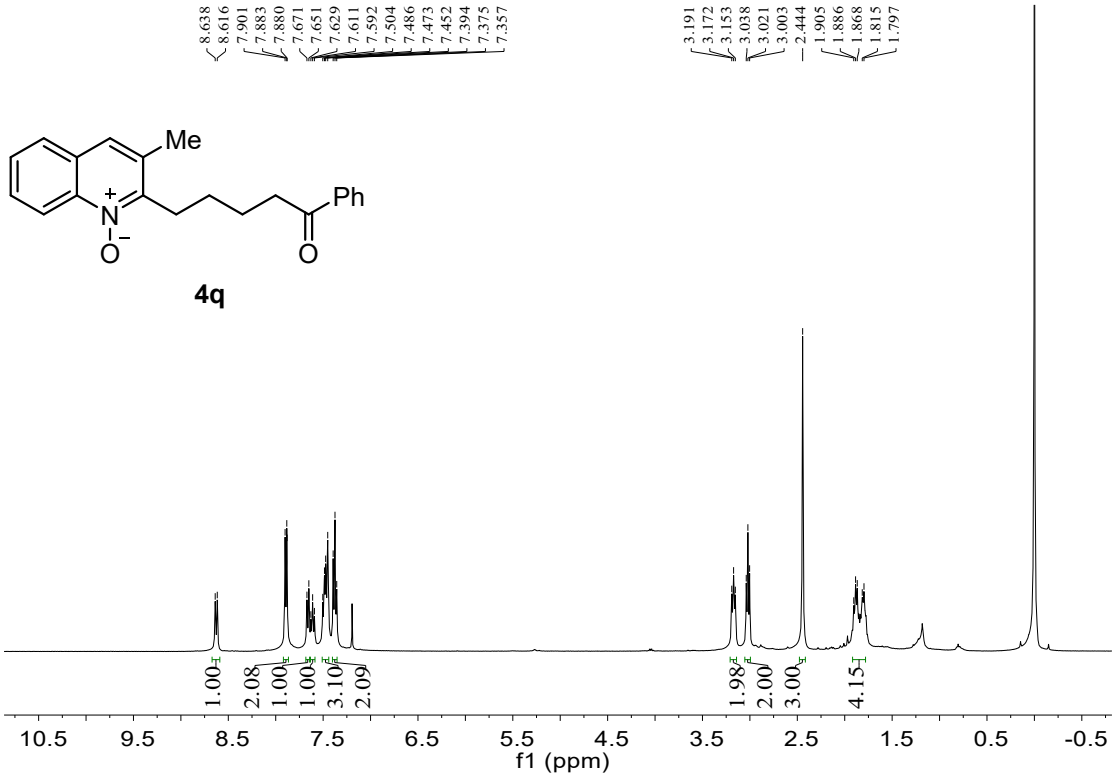


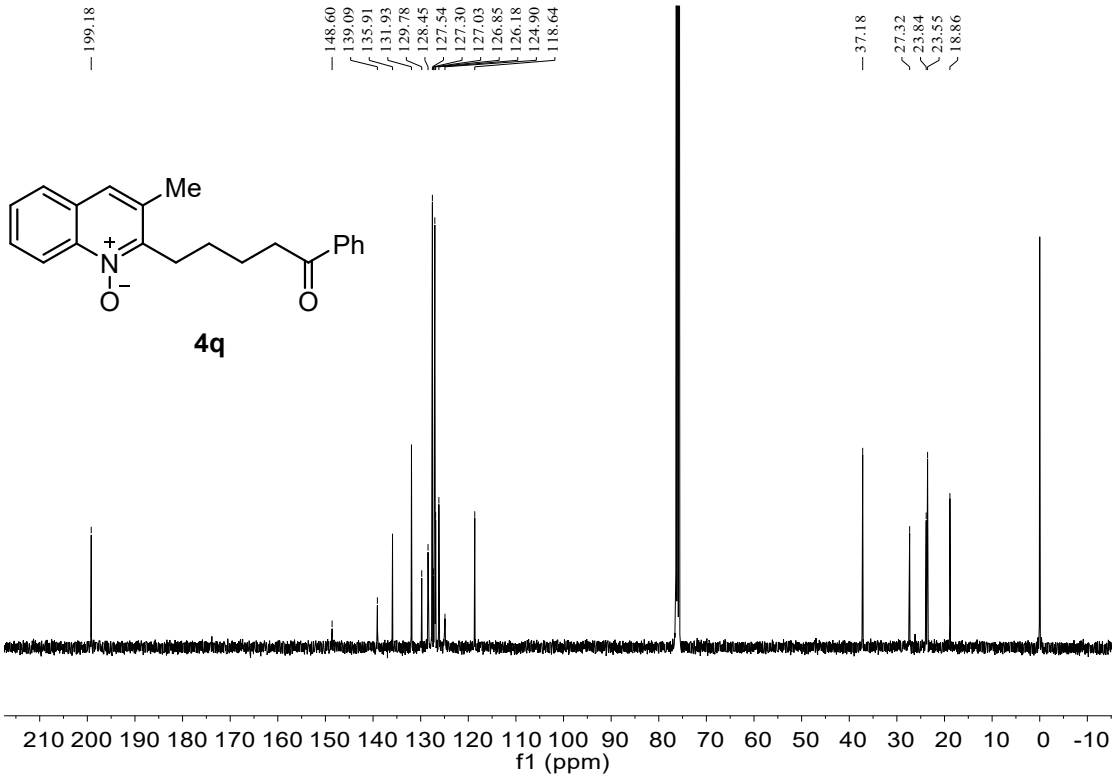


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4p

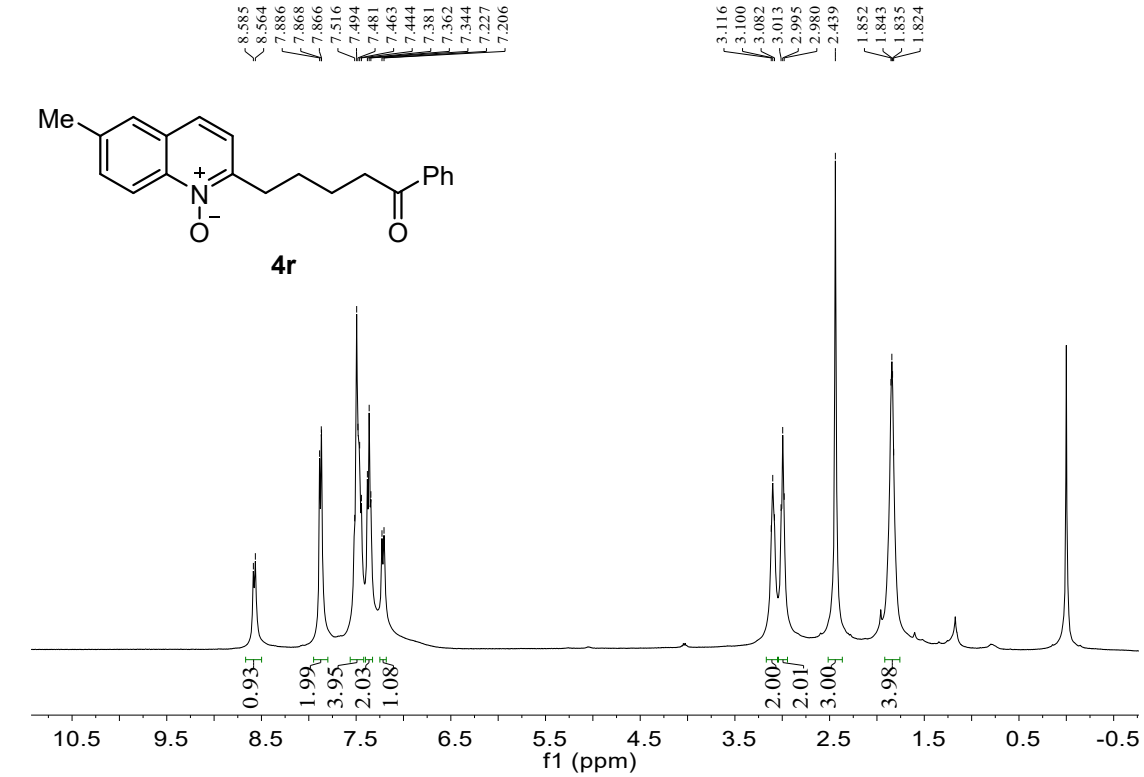


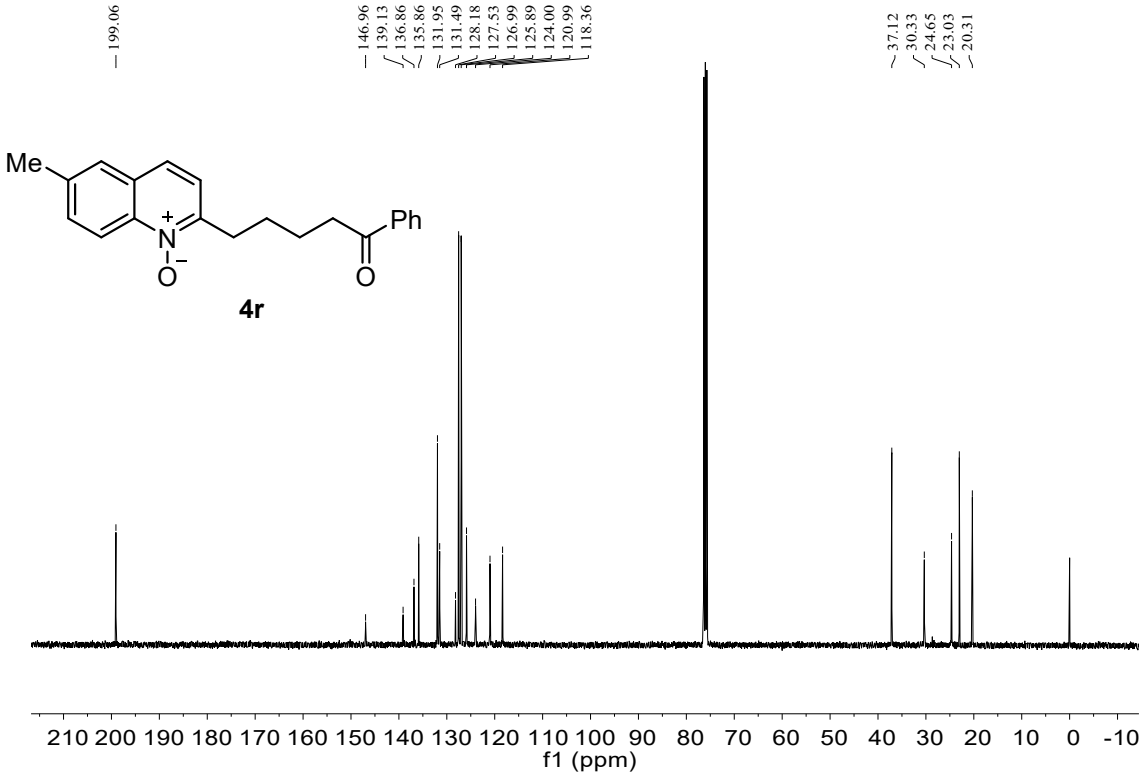
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4q



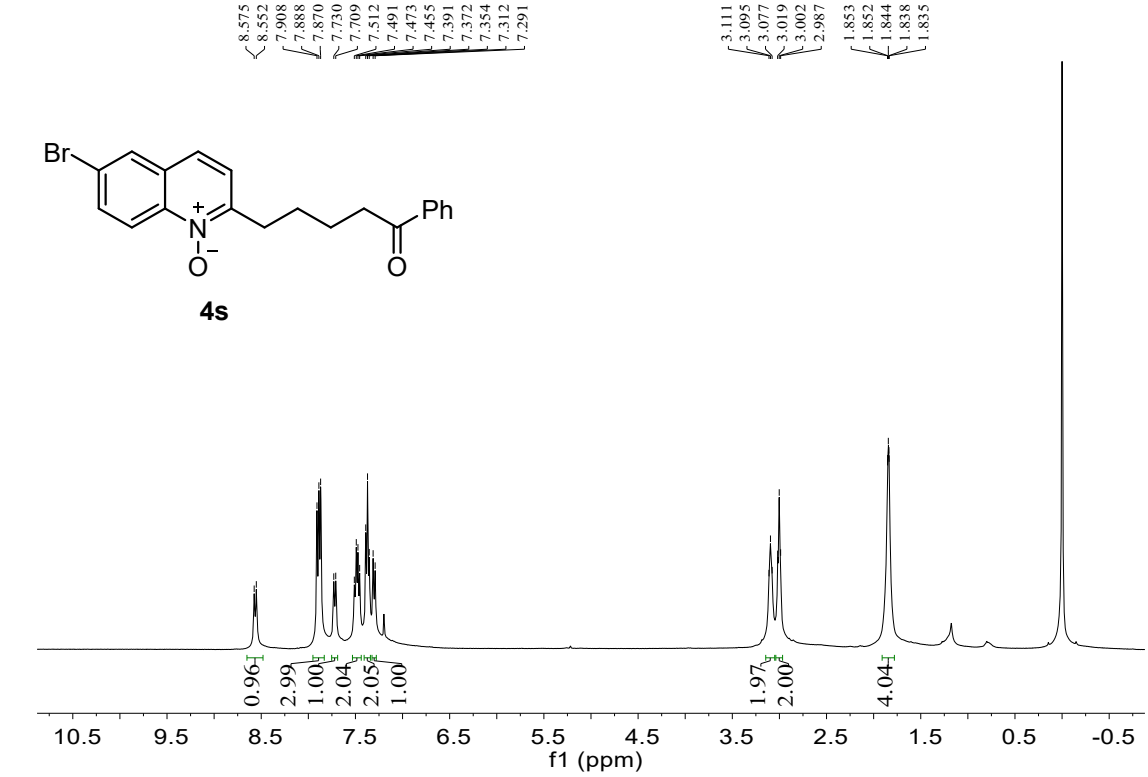


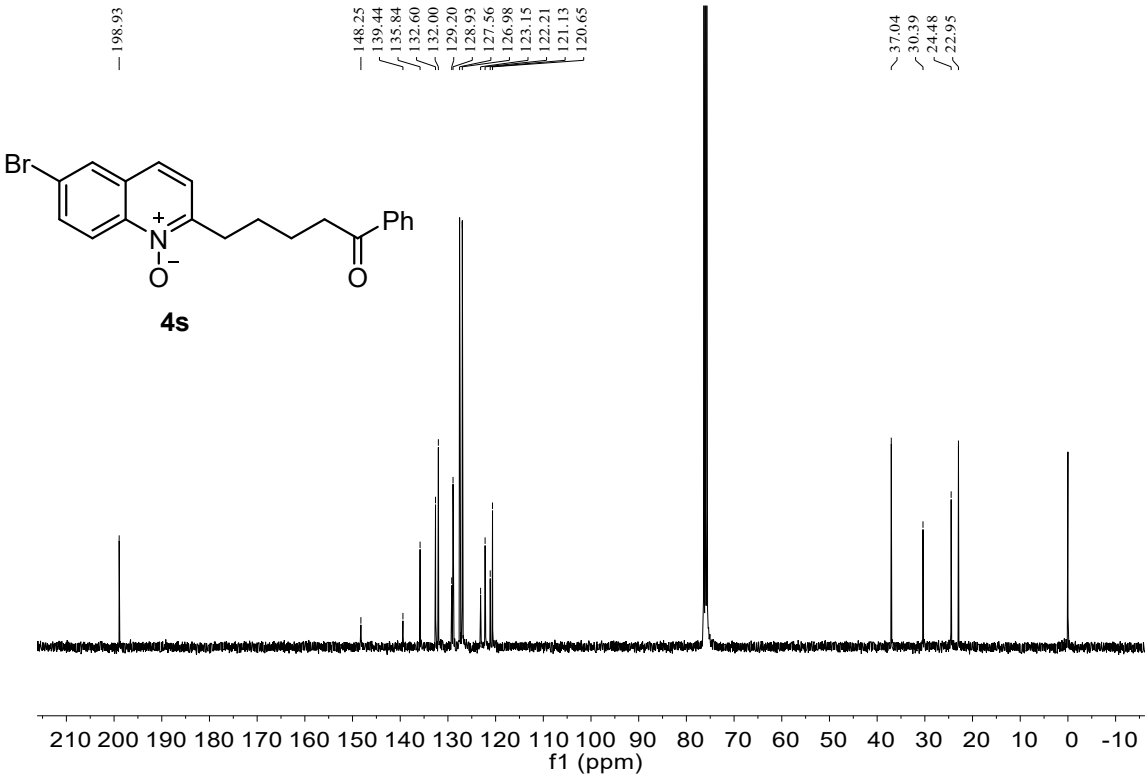
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4r



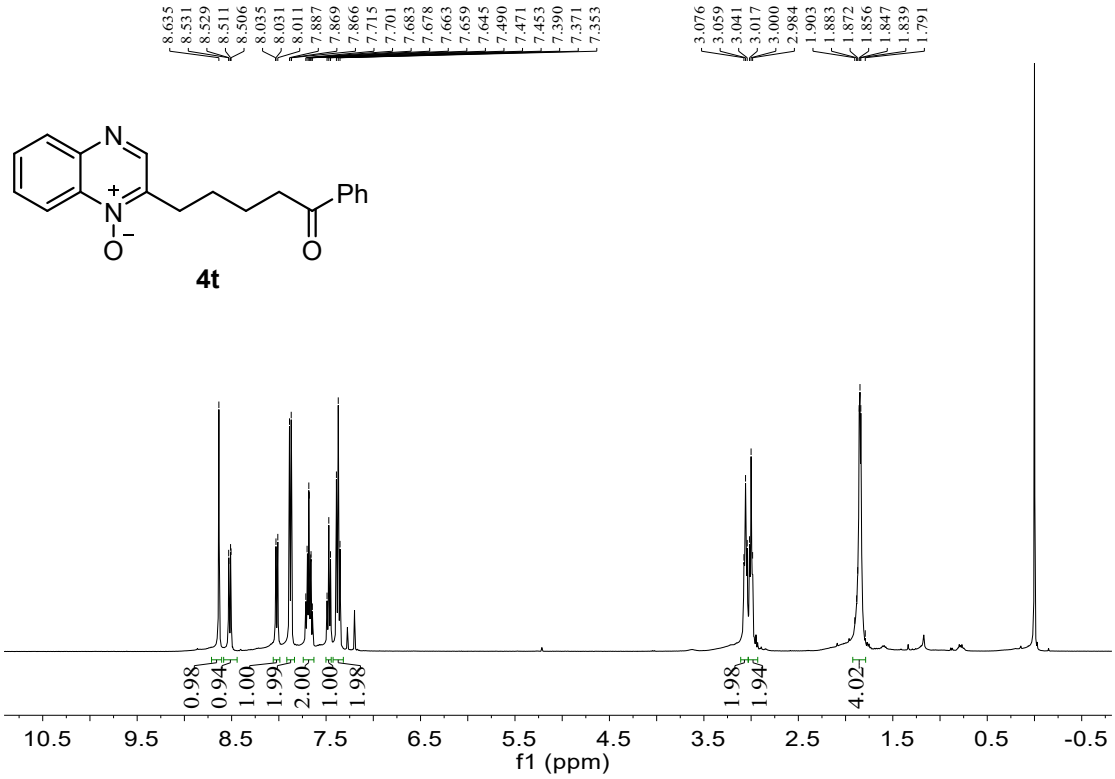


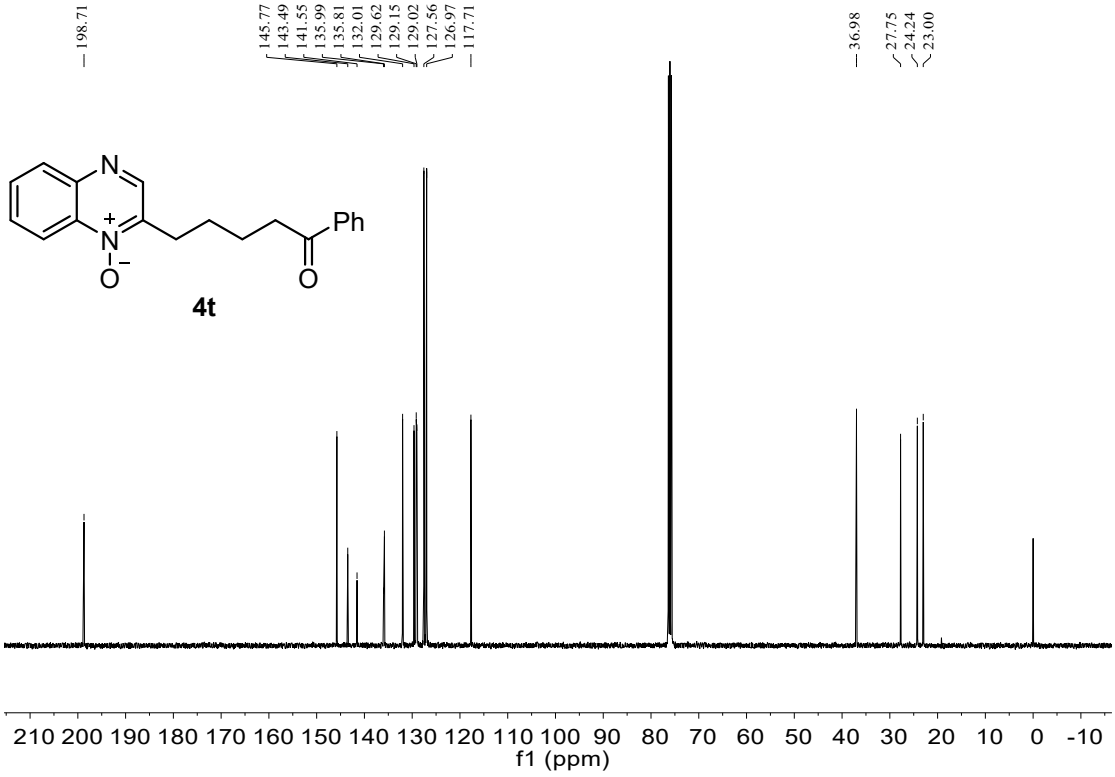
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4s



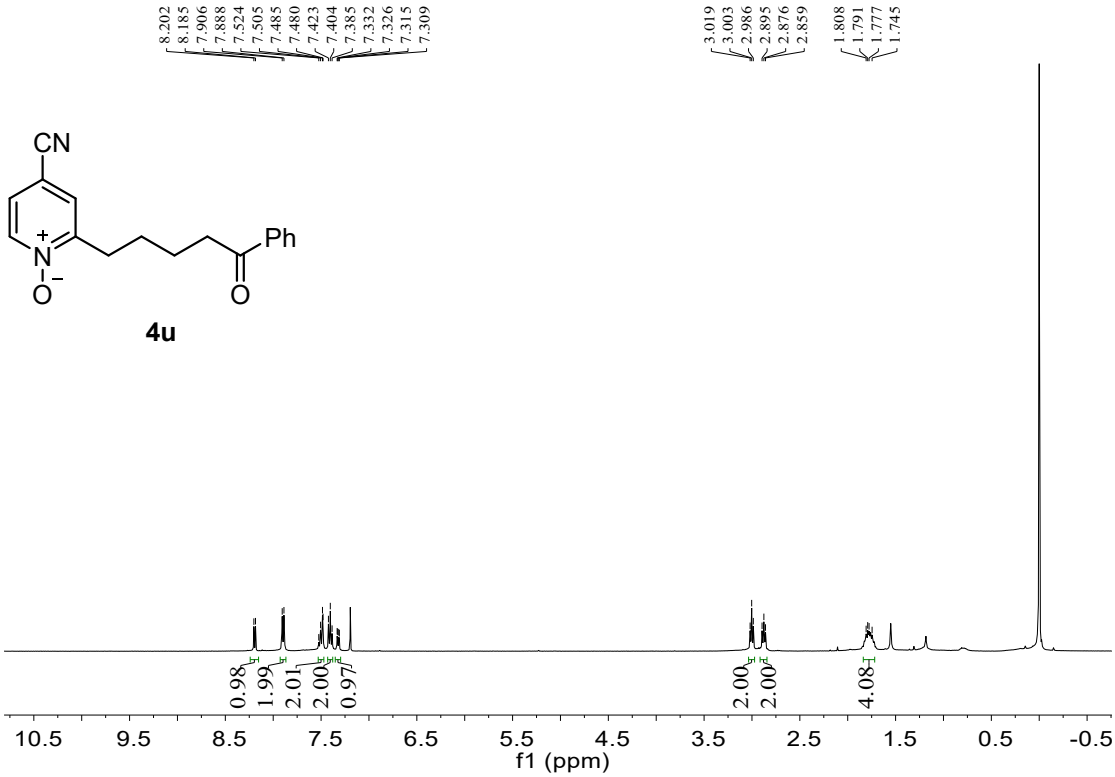


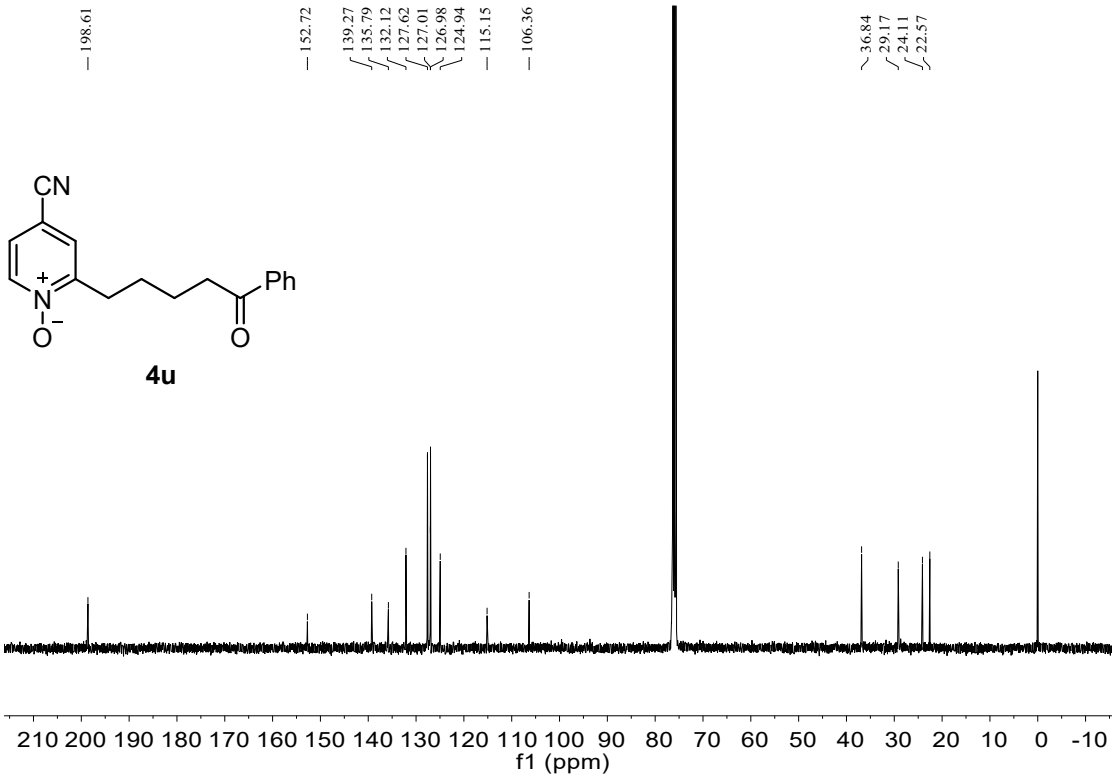
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4t



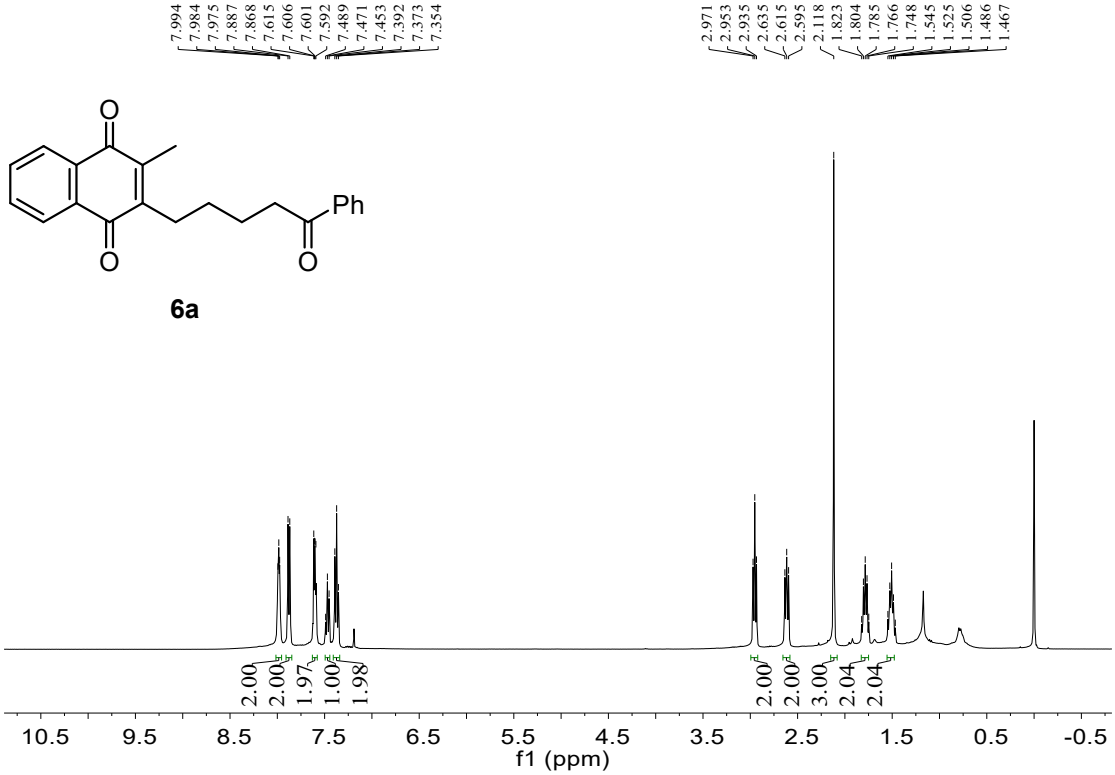


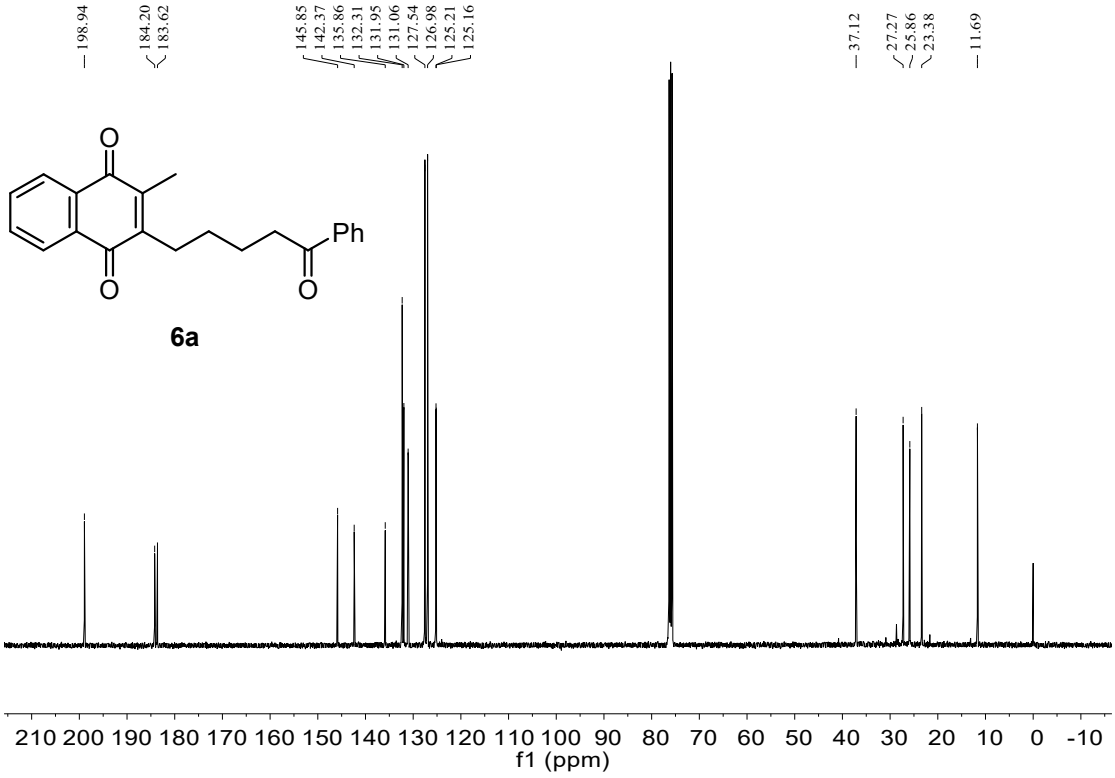
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4u



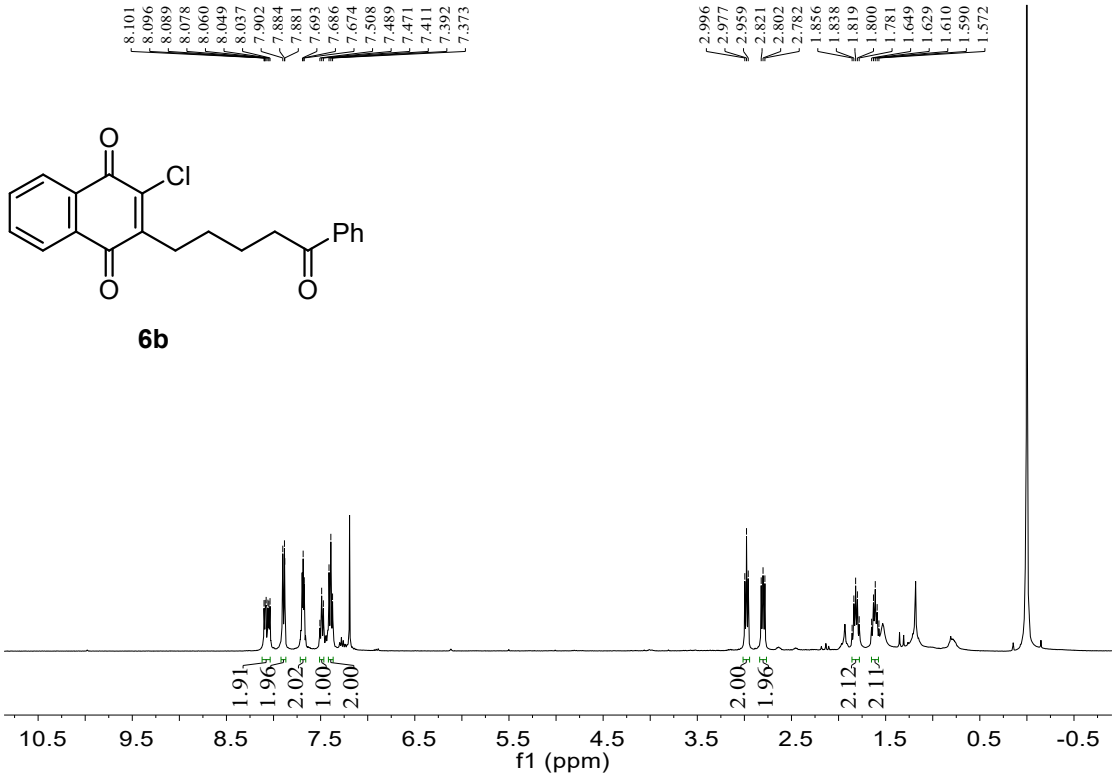


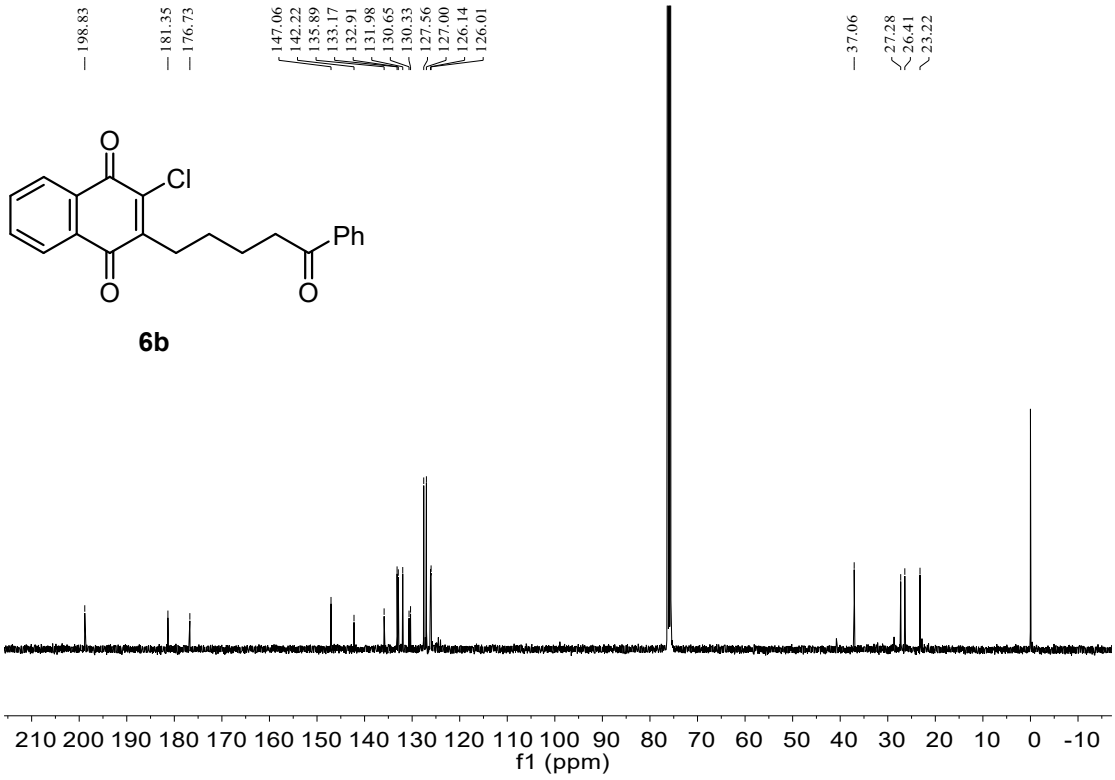
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6a



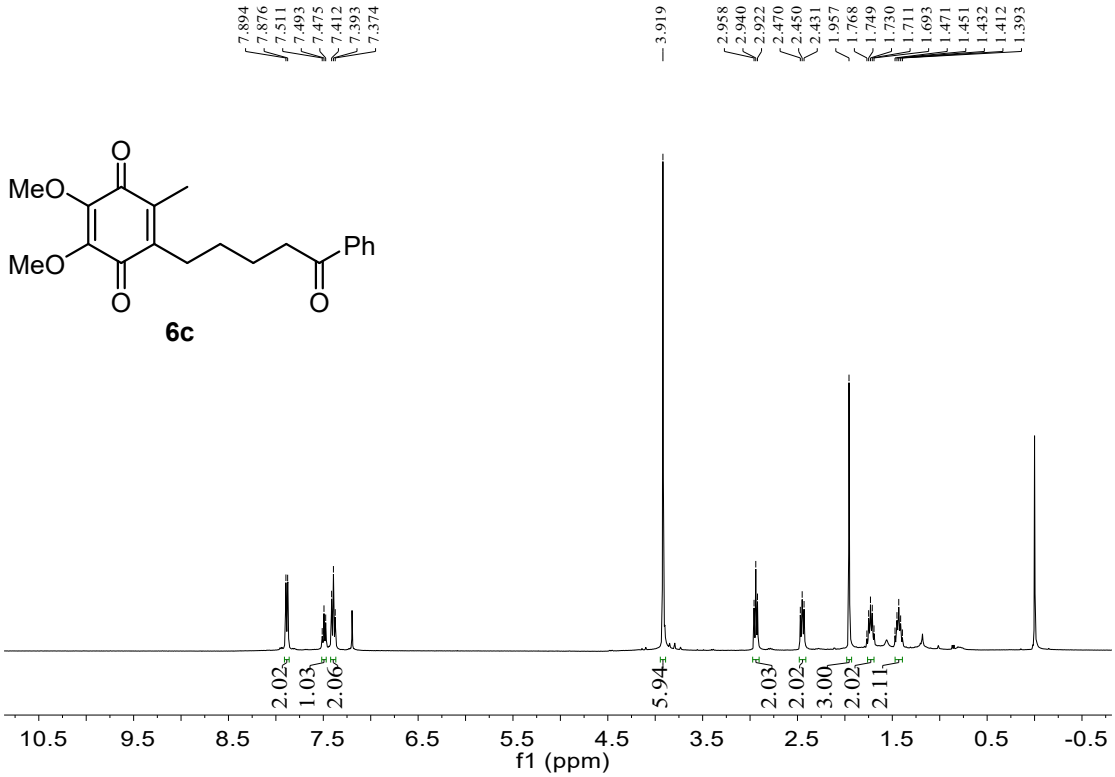


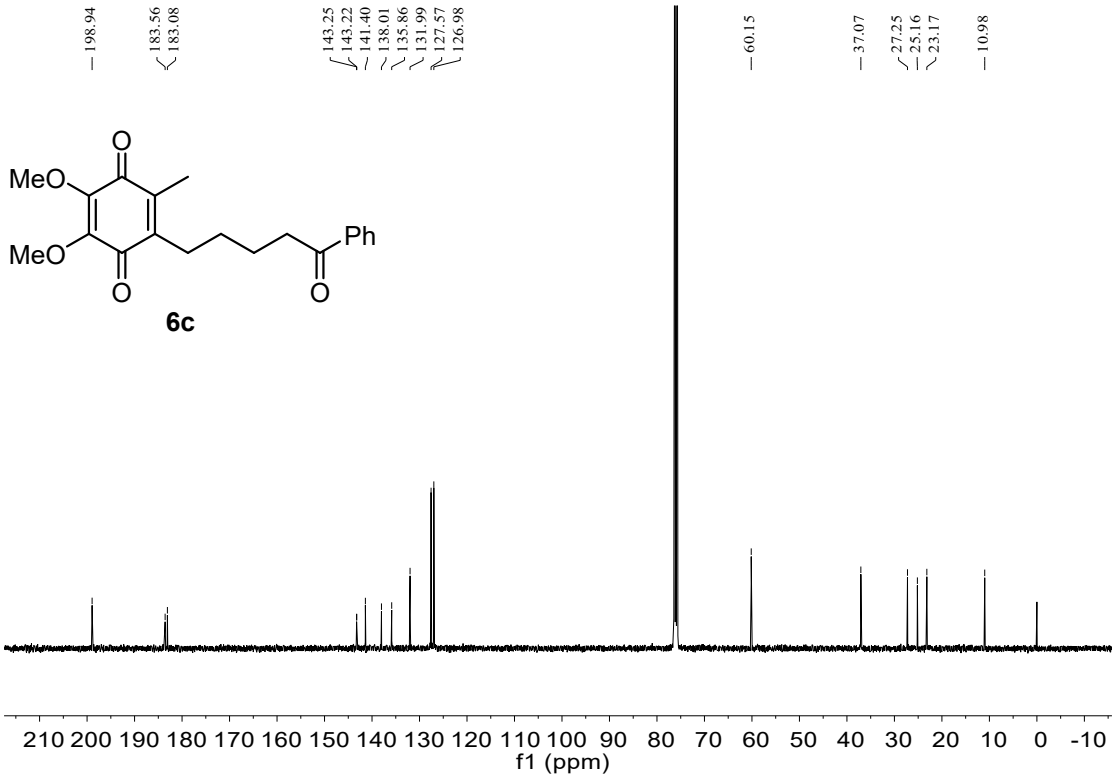
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6b



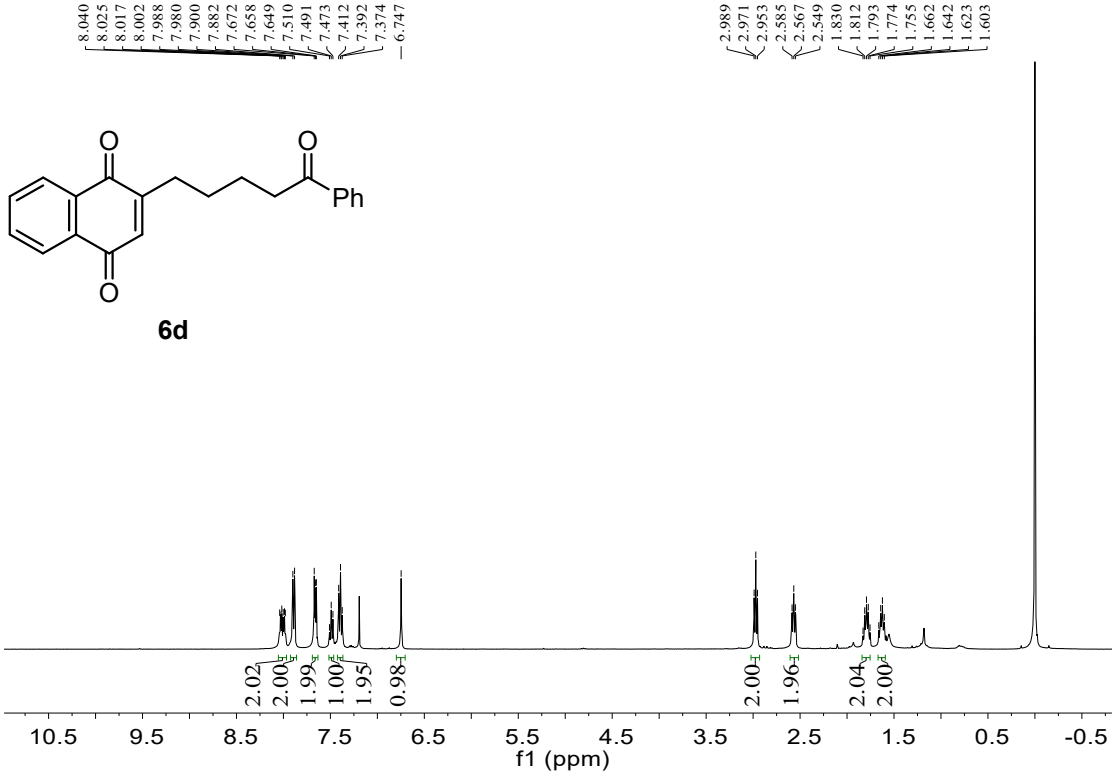


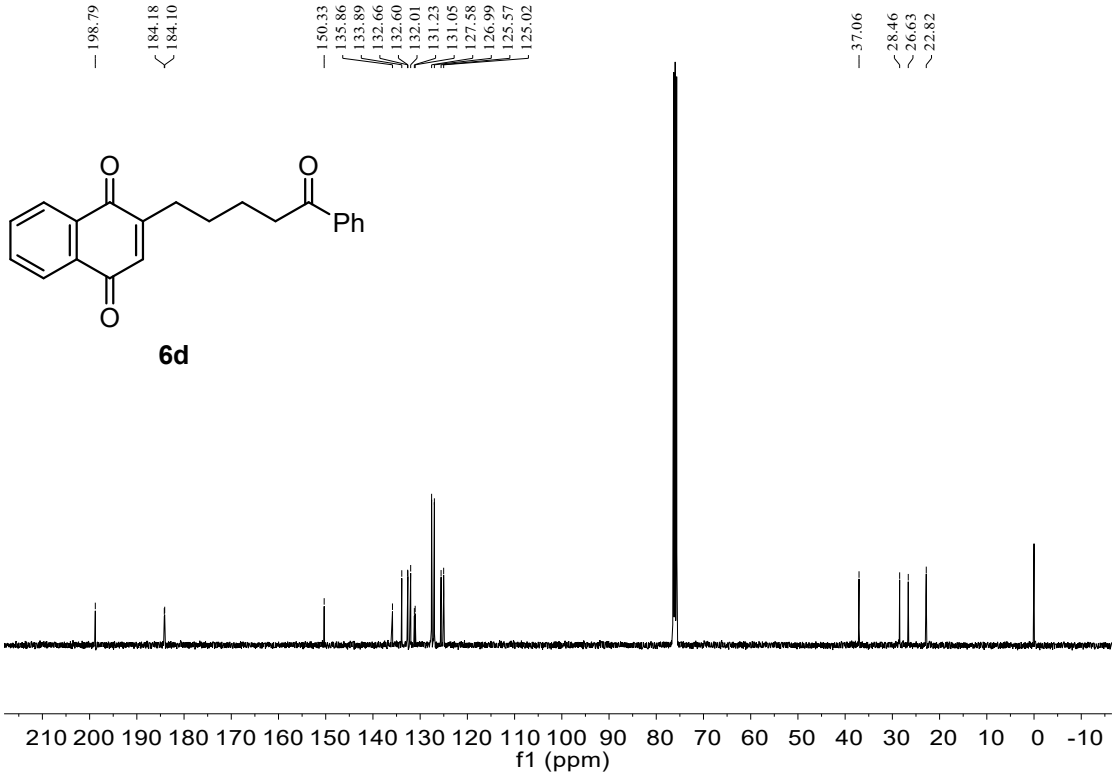
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6c



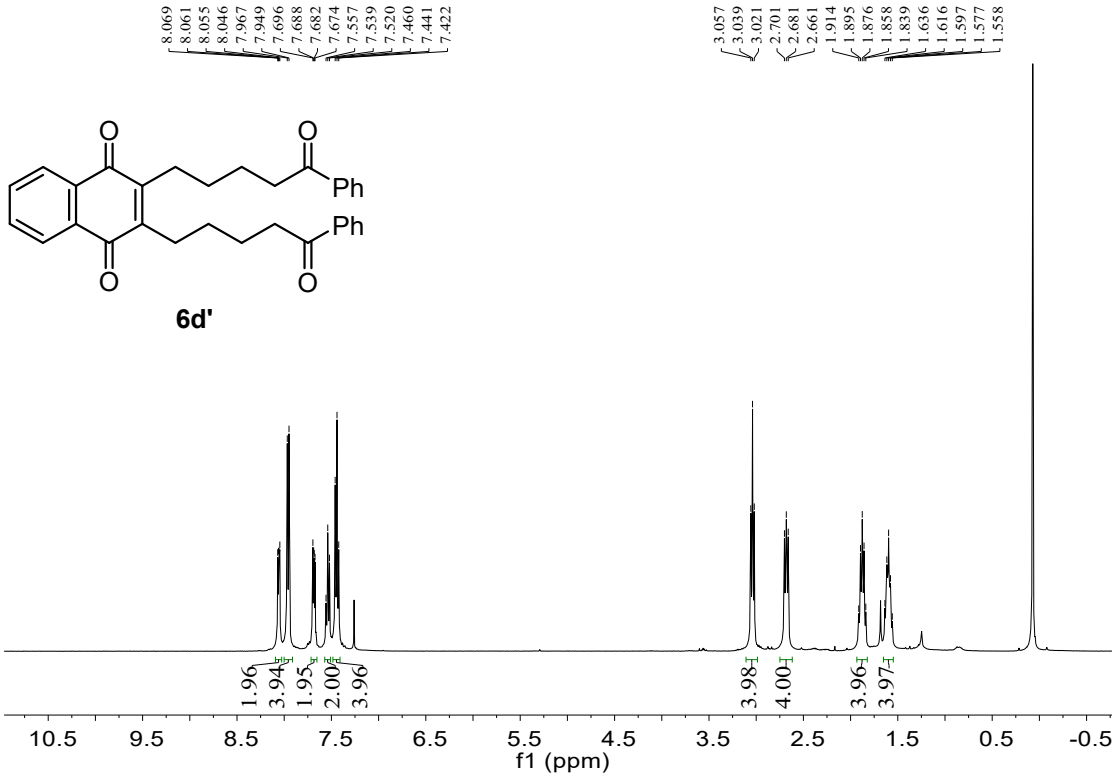


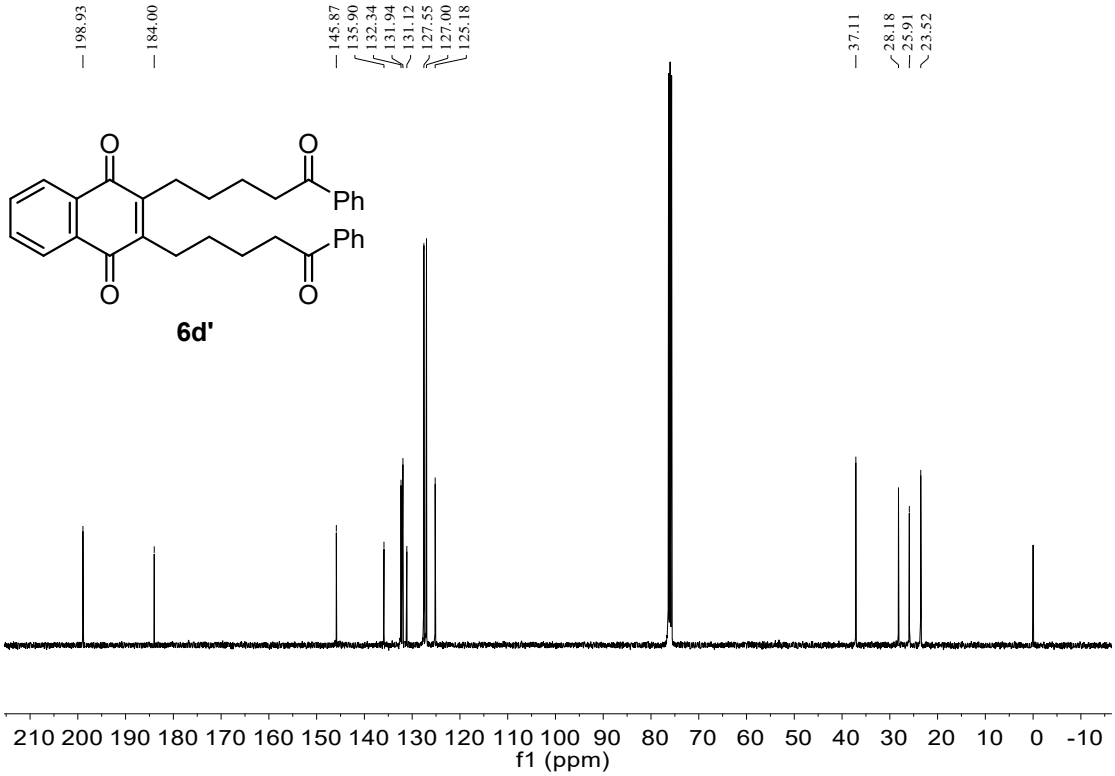
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6d



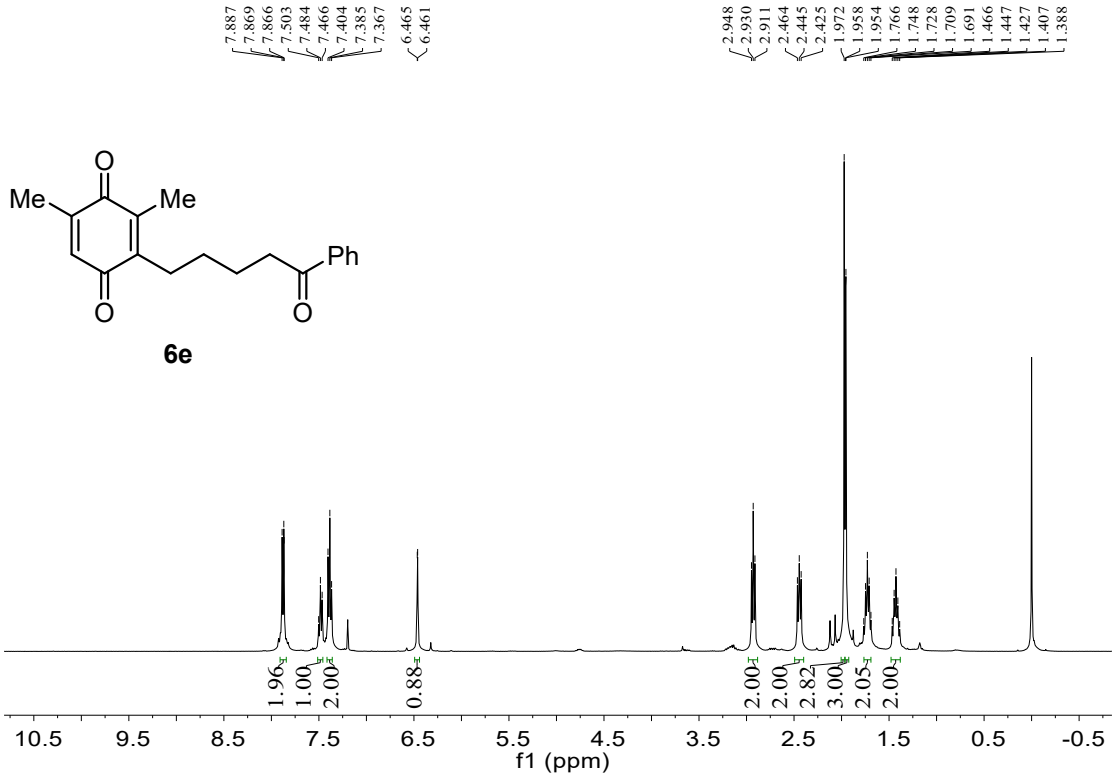


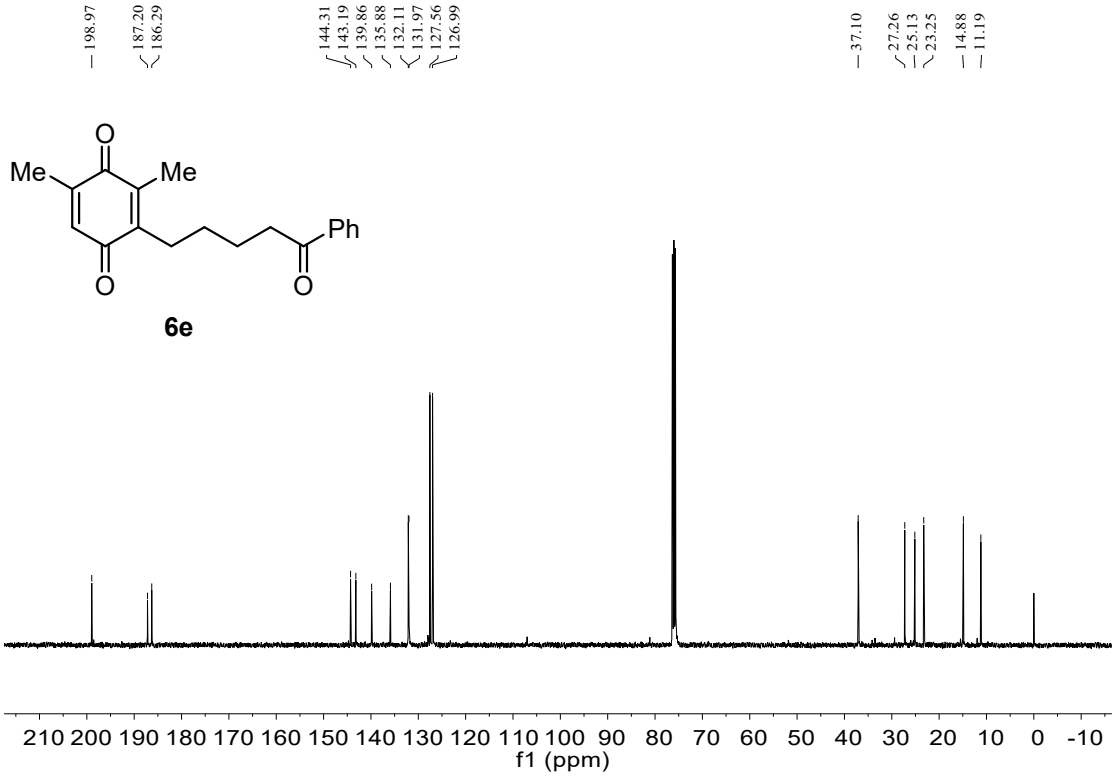
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6d'



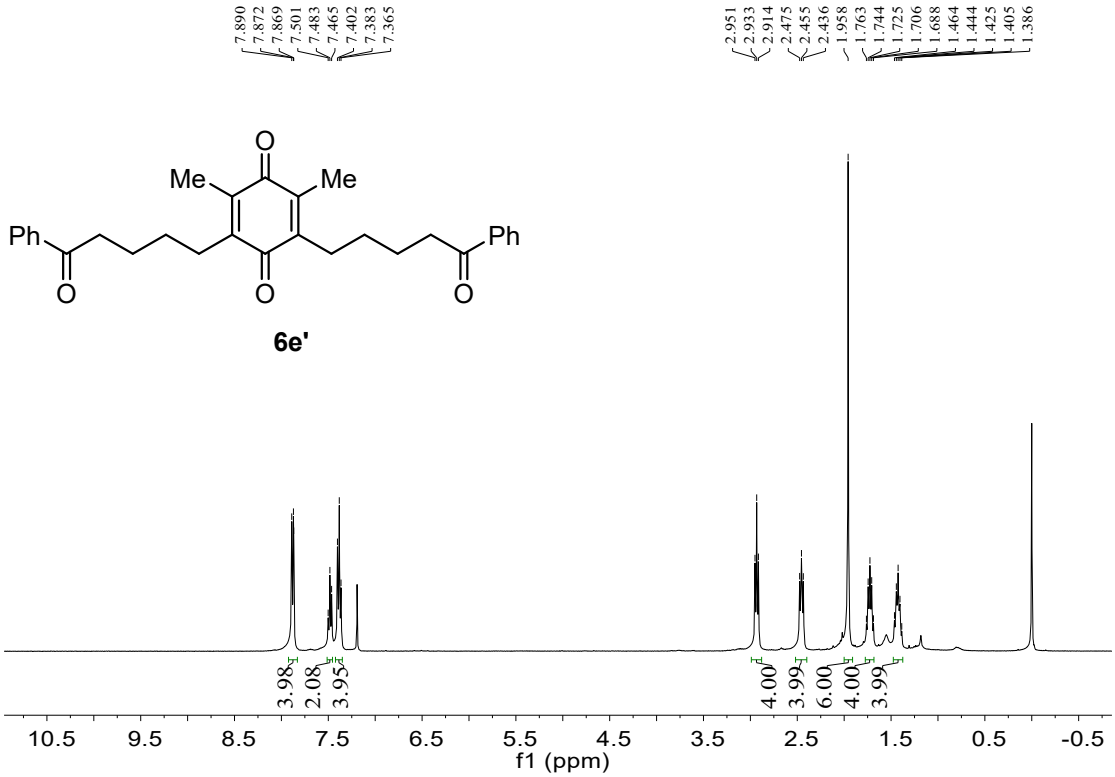


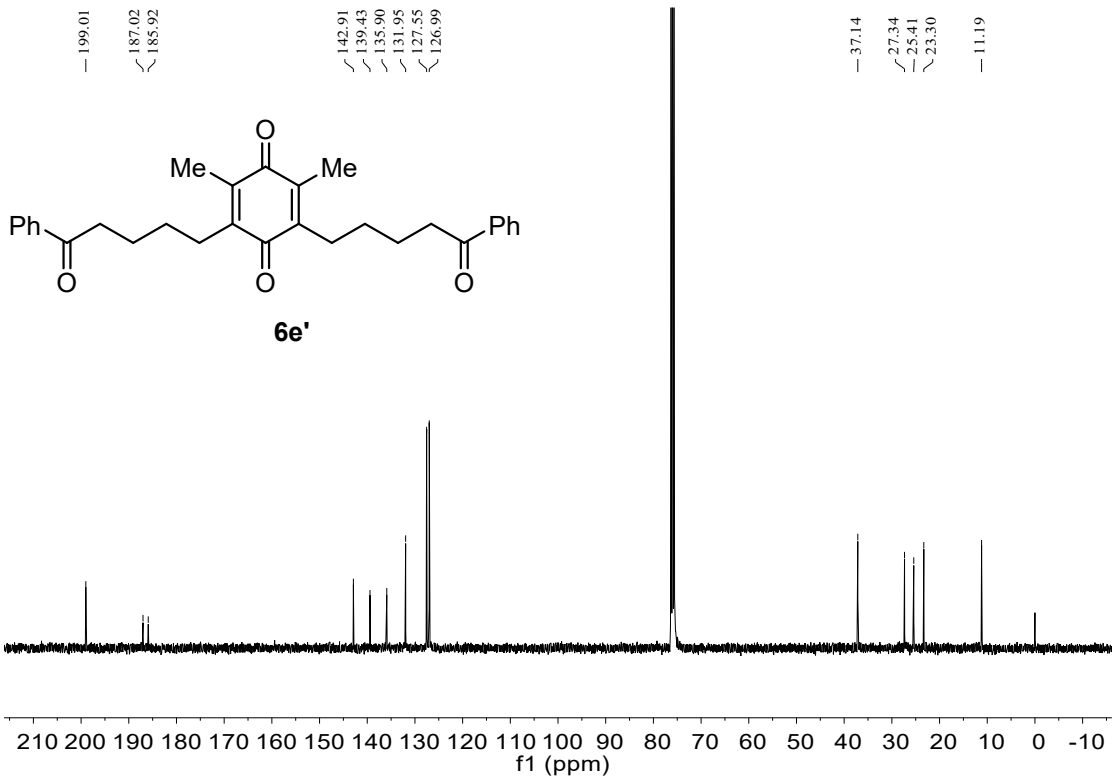
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6e



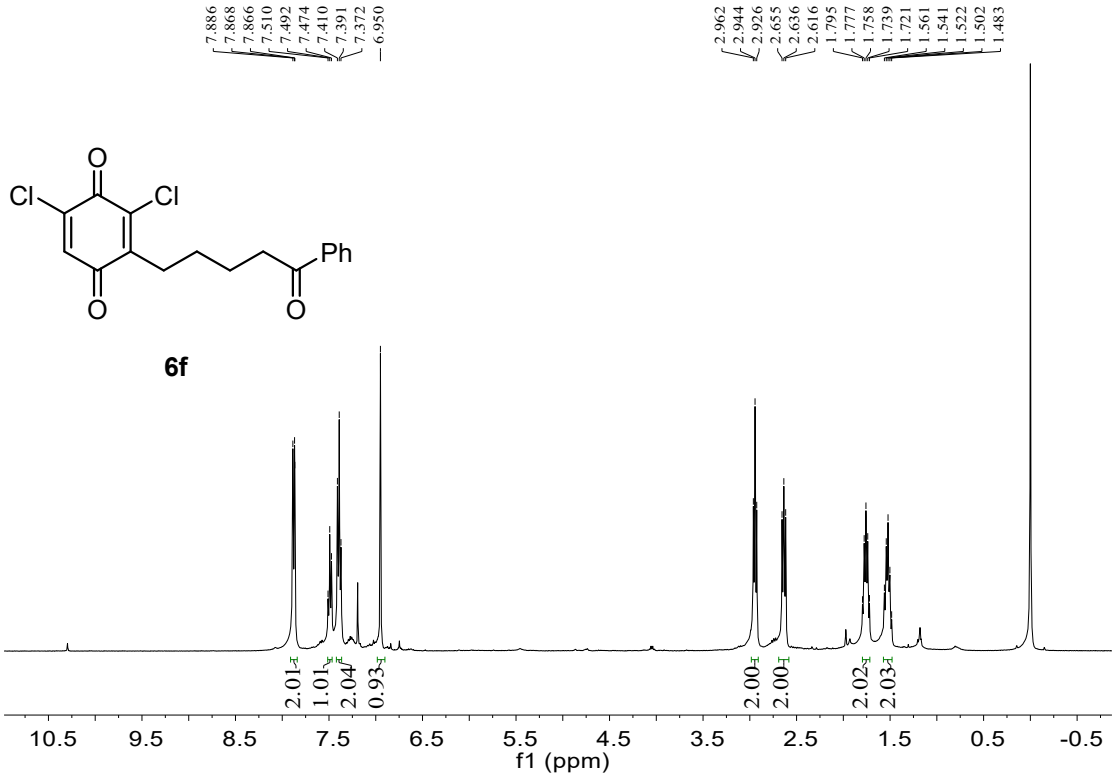


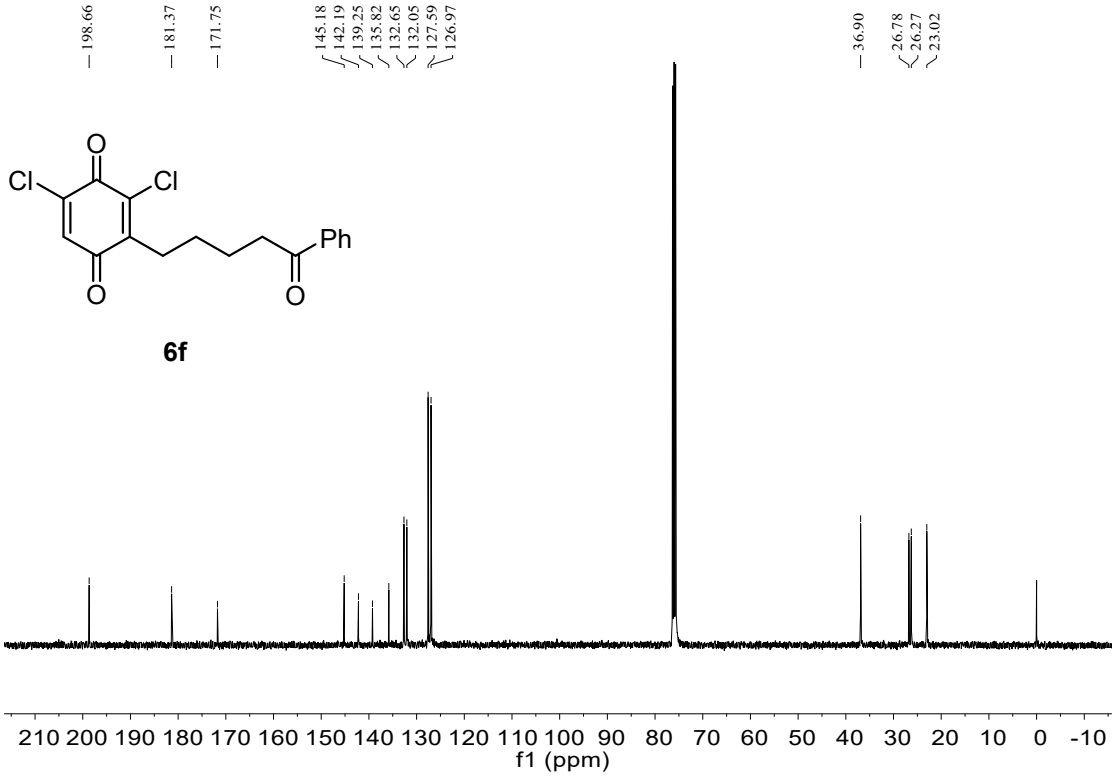
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6e'



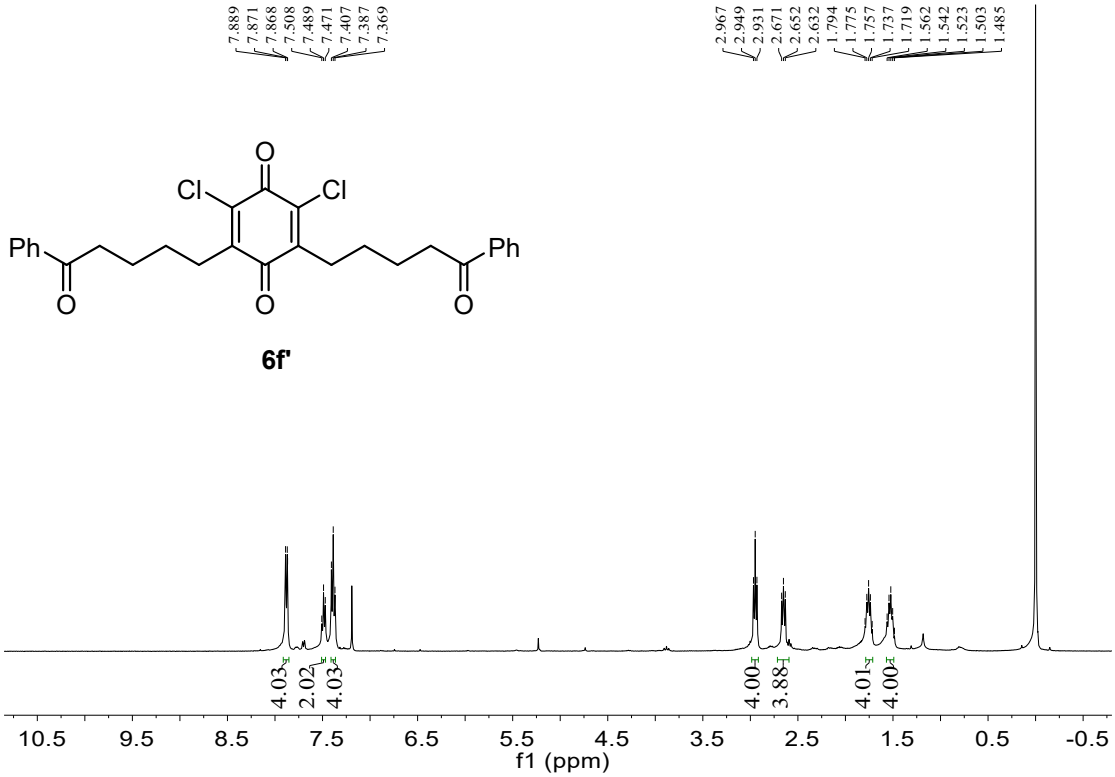


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6f

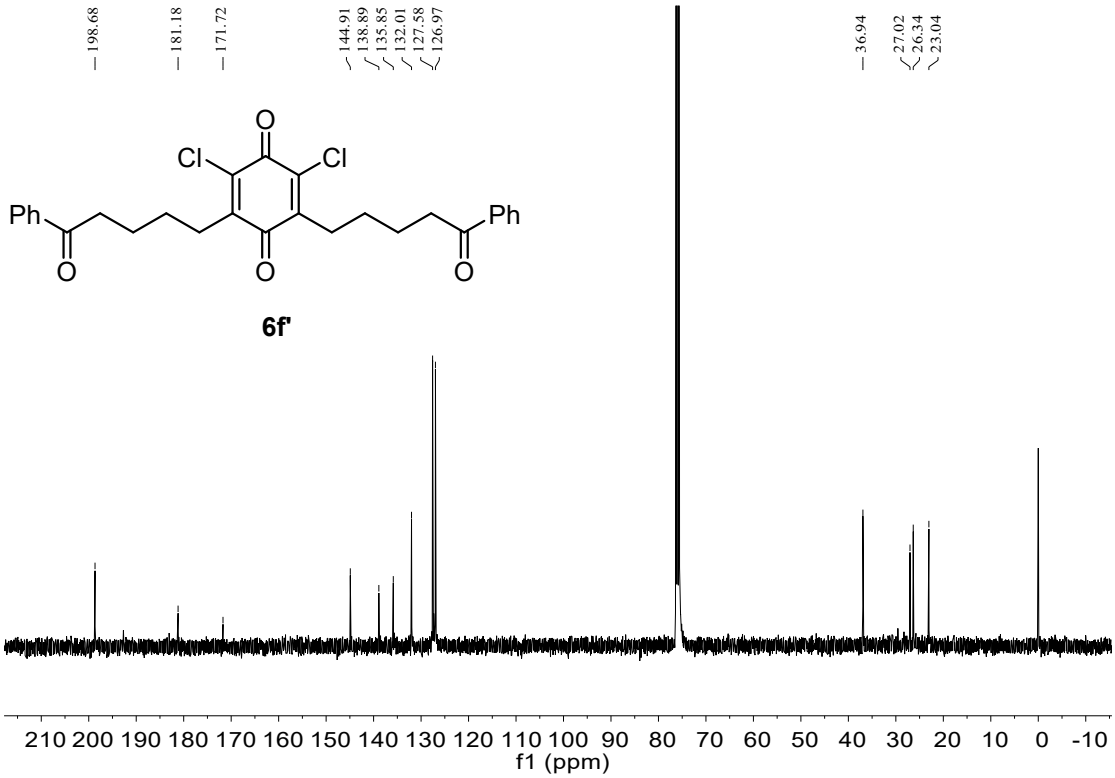




^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 6f'

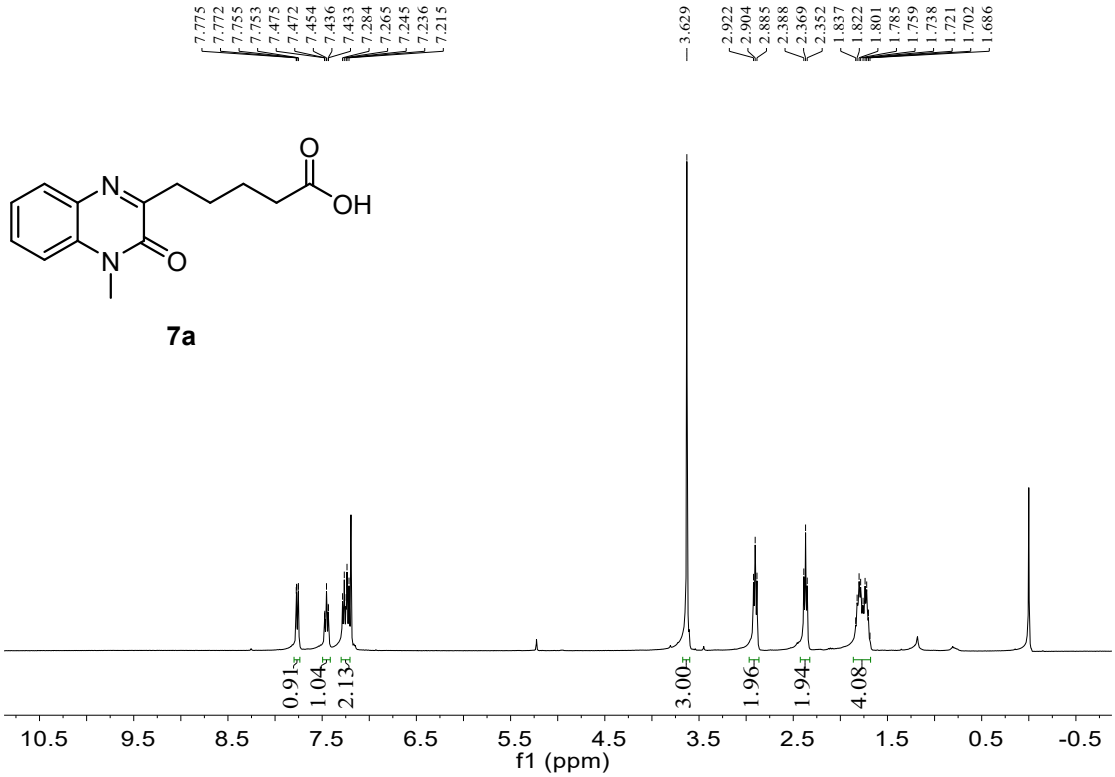


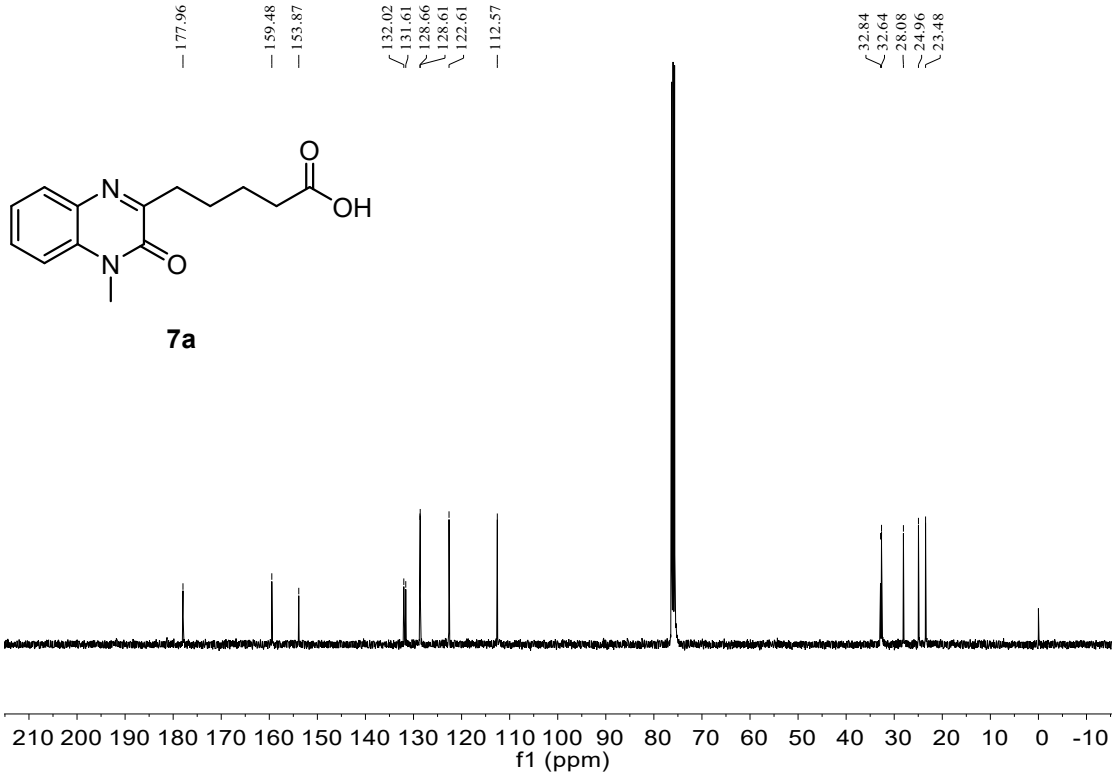
6f'



6f'

^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 7a





^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 8a