Light-Driven for Selective Aerobic Oxidation of (Iso)quinoliniums and Related Heterocycles

Meimei Zhou,[a‡] Keyang Yu,[b‡] Jianxin Liu,[b] Weimei Shi,[b] Yingming Pan,[a] Haitao Tang,[a]

Xiangjun Peng,[b,*] Qian Liu,[b,*] Hengshan Wang[a,*]

[a] State Key Laboratory for Chemistry and Molecular Engineering of Medicinal Resources, School of Chemistry and Pharmaceutical Sciences of Guangxi Normal University, Guilin 541004, People's Republic of China.

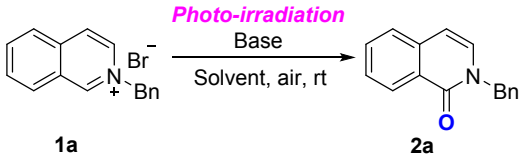
[b] Key Laboratory of Prevention and Treatment of Cardiovascular and Cerebrovascular Diseases of Ministry of Education, Gannan Medical University, Ganzhou 341000, People's Republic of China.

[c] School of Pharmaceutical Science, Gannan Medical University, Ganzhou, Jiangxi 341000, P. R. China.

Content

1. Optimization study of α-lactams and 4-quinolones

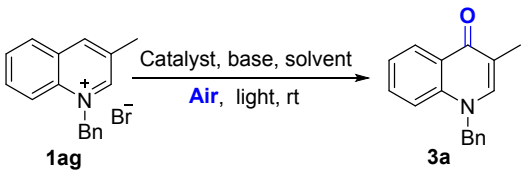
1.1 Table S1. Optimization study of α-lactams[a, b]



Entry	Base	Solvent	Light source	**Catalyst**	**2a** (%)[b]
1	DABCO (1 equiv)	CH_3CN	blue (15 w)	–	75
2	DABCO (1 equiv)	Dioxane	blue (15 w)	–	43
3	DABCO (1 equiv)	MeOH	blue (15 w)	–	50
4	DABCO (1 equiv)	DCE	blue (15 w)	–	37
5	DABCO (1 equiv)	THF	blue (15 w)	–	58
6	DABCO (1 equiv)	DMF	blue (15 w)	–	22
7	DABCO (1 equiv)	DMSO	blue (15 w)	–	58
8	NaOH (2 equiv)	CH_3CN	blue (15 w)	–	65
9	Cs_2CO_3 (2 equiv)	CH_3CN	blue (15 w)	–	68
10	NaOAc (2 equiv)	CH_3CN	blue (15 w)	–	trace
11	KO^{t}Bu (2 equiv)	CH_3CN	blue (15 w)	–	67
12	$NH_3 \cdot H_2O$ (2 equiv)	CH_3CN	blue (15 w)	–	33
13	Et_3N (2 equiv)	CH_3CN	blue (15 w)	–	54
14	DBU (2 equiv)	CH_3CN	blue (15 w)	–	64
15	**DABCO (2 equiv)**	**CH_3CN**	**blue (15 w)**	–	**87**
16	DABCO (3 equiv)	CH_3CN	blue (15 w)	–	72
17	DABCO (2 equiv)	CH_3CN	green (15 w)	–	60
18	DABCO (2 equiv)	CH_3CN	blue (9 w)	–	79
19	DABCO (2 equiv)	CH_3CN	blue (15 w)	Eosin Y	85
20	DABCO (2 equiv)	CH_3CN	blue (15 w)	$Ru(bpy)_3Cl_2$	63
21	DABCO (2 equiv)	CH_3CN	–	–	21
22	–	CH_3CN	blue (15 w)	–	NR

[a]Reaction conditions: Isoquinolinium bromide **1a** (0.2 mmol), DABCO (2.0 equiv), catalyst (2.0 mol%), LED lamp as light source, ambient temperature, 6～10 h, under air atmosphere. [b]Isolated yield.

1.2 Table S2. Optimization study of 4-quinolones[a, b]



Entry	**Catalyst**	Base	Solvent	Light	**3a** (%)[b]
1	Eosin Y	DABCO	CH_3CN	blue (15 w)	NR
2	Rhodamine B	DABCO	CH_3CN	blue (15 w)	NR
3	**$Ru(bpy)_3Cl_2$**	**DABCO**	**CH_3CN**	**blue (15 w)**	**68**

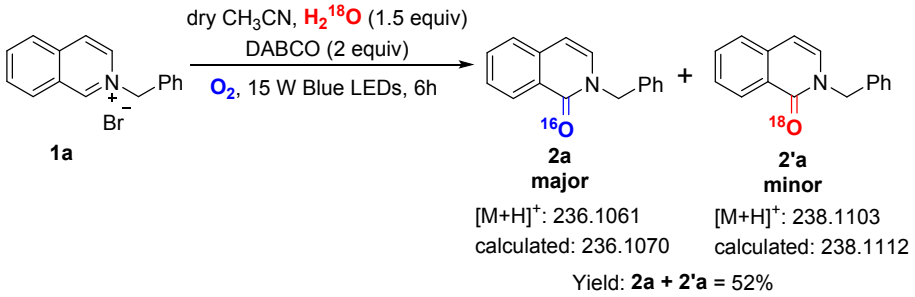
4	$Ru(bpy)_3Cl_2$	KO^{t}Bu	CH_3CN	blue (15 w)	37
5	$Ru(bpy)_3Cl_2$	NaOH	CH_3CN	blue (15 w)	NR
6	$Ru(bpy)_3Cl_2$	Et_3N	CH_3CN	blue (15 w)	NR
7	$Ru(bpy)_3Cl_2$	DABCO	MeOH	blue (15 w)	NR
8	$Ru(bpy)_3Cl_2$	DABCO	1, 4-Dioxane	blue (15 w)	33
9	$Ru(bpy)_3Cl_2$	DABCO	DMSO	blue (15 w)	NR
10	$Ru(bpy)_3Cl_2$	DABCO	CH_3CN	green (15 w)	25
11	–	DABCO	CH_3CN	blue (15 w)	NR
12	$Ru(bpy)_3Cl_2$	–	CH_3CN	blue (15 w)	NR
13	$Ru(bpy)_3Cl_2$	DABCO	CH_3CN	–	trace

[a]Reaction conditions: Quinolinium bromide **1ag** (0.2 mmol), DABCO (2.0 equiv), catalyst (2.0 mol%), LED lamp as light source, ambient temperature, 12 h, under air atmosphere. [b]Isolated yield. NR = No reaction.

2. Control Experiments

2.1 ^{18}O-Labeling experiments

The ^{18}O-labeling experiments were performed with $H_2{}^{18}O$ (innochem, ^{18}O atom 97%), and high resolution positive ion electrospray mass spectra (HRMS-ESI) for the final products were shown in Figures S1. The results showed that origin of oxygen element in the desired products **2a** was mainly from the oxygen of air.



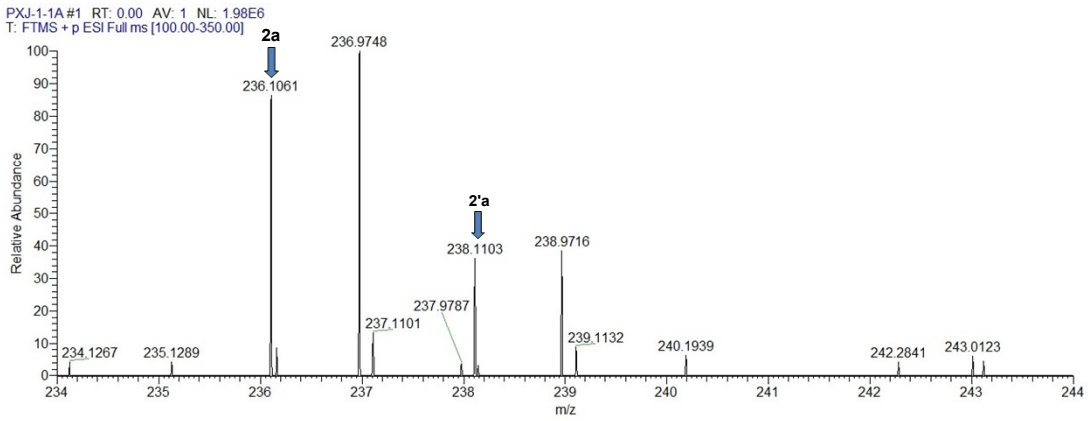


Figure S1. ^{18}O-Labeling $H_2{}^{18}O$ experiments and the HRMS-ESI positive ion mass spectrum for the final products. Reaction conditions: $^{16}O_2$ atmosphere and irradiation with 15 W blue LEDs, *N*-benzylisoquinolinium bromide **1a** (0.2 mmol), DABCO (0.4 mmol), $H_2{}^{18}O$ (0.3 mmol), dry CH_3CN (2 mL), room temperature, time (6 h) in a 25-mL Schlenk tube.

2.2 Intermediates by ESI-HRMS

2.2.1 Detection of intermediates from the reaction of substrate 1a

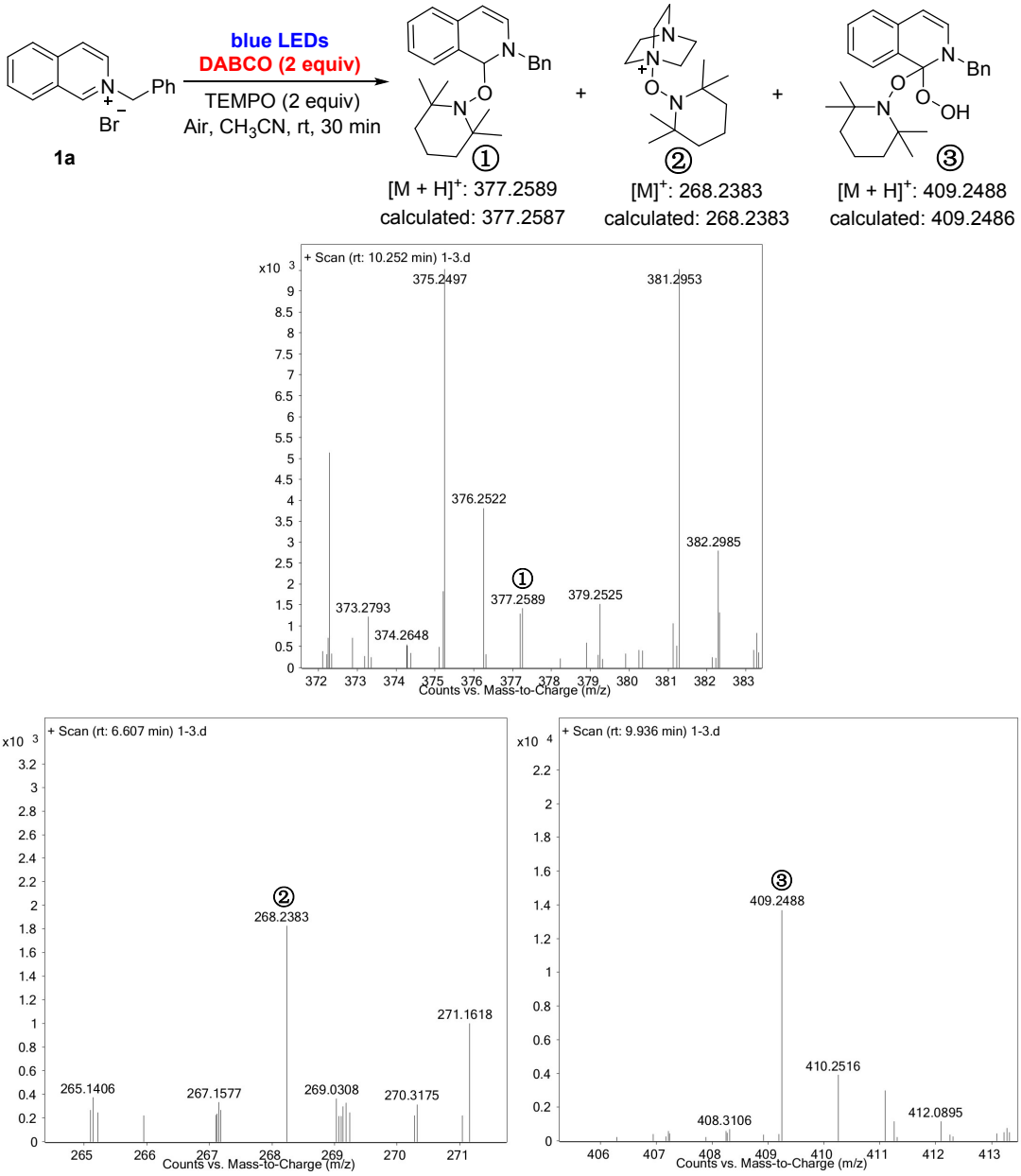
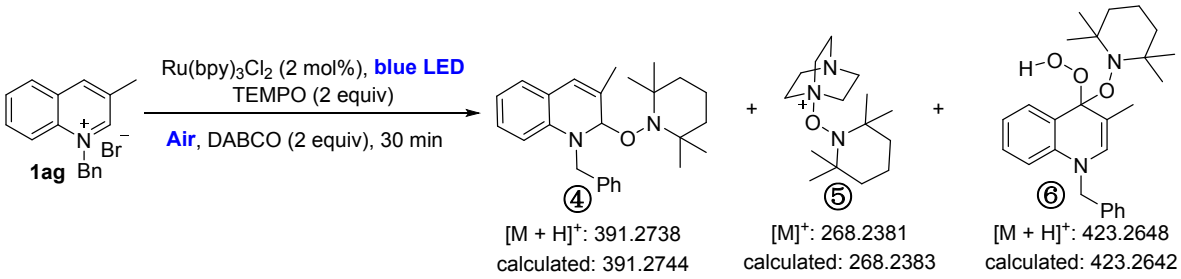


Figure S2. Reaction conditions: Air and irradiation with 15 W blue LEDs, *N*-benzylisoquinolinium bromide **1a** (0.2 mmol), DABCO (0.4 mmol), CH_3CN (2 mL), room temperature, time (30 min.) in a 10 mL quartz test tube.

2.2.2 Detection of intermediates from the reaction of substrate 1ag



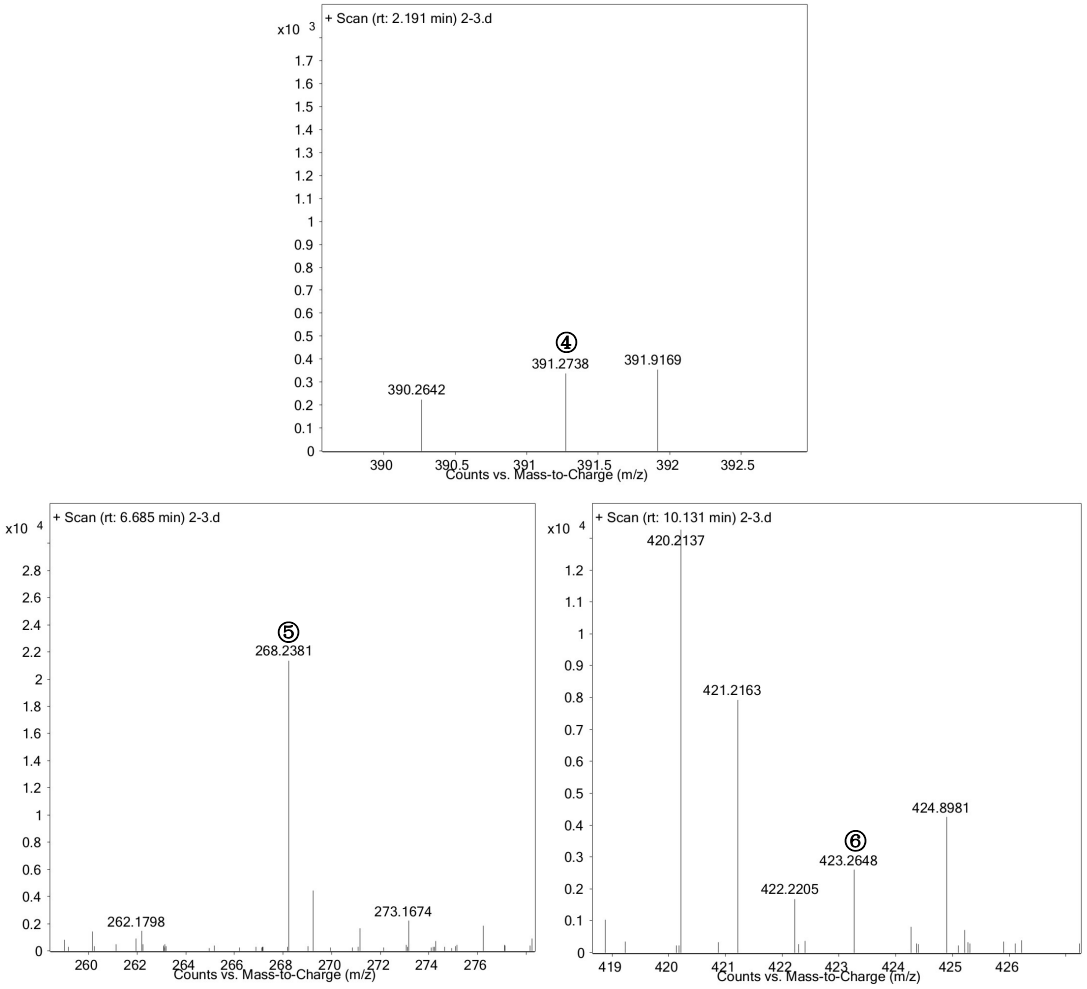


Figure S3. Reaction conditions: Air and irradiation with 15 W blue LEDs, *N*-benzylquinolinium bromide **1ag** (0.2 mmol), DABCO (0.4 mmol), $Ru(bpy)_3Cl_2$ (0.004 mmol), CH_3CN (2 mL), room temperature, time (30 min.) in a 10 mL quartz test tube.

3. Ex Vivo Cytotoxicity Assays

Table S3. The IC_{50} (μM) values of all synthesized compounds.[a]

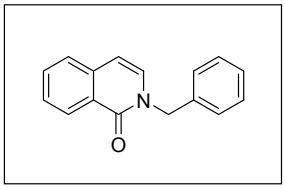
Compounds	IC_{50} (μM)[b]		
	MOVAS	HUVEC	AC16
2a	> 100	> 100	> 100
2b	> 100	> 100	> 100
2c	> 100	> 100	> 100
2d	> 100	> 100	> 100
2e	> 100	> 100	> 100
2f	> 100	> 100	> 100
2g	**59.14 ± 2.31**	> 100	> 100
2h	> 100	> 100	> 100
2i	> 100	> 100	> 100
2j	> 100	> 100	> 100
2k	> 100	> 100	> 100
2l	> 100	> 100	> 100
2m	> 100	> 100	> 100
2n	> 100	> 100	> 100
2o	> 100	> 100	> 100
2p	> 100	> 100	> 100
2q	> 100	> 100	> 100
2r	> 100	> 100	> 100
2s	> 100	> 100	> 100
2t	94.93 ± 4.57	> 100	> 100
2u	> 100	> 100	> 100
2v	> 100	> 100	> 100
2w	> 100	> 100	> 100
2x	> 100	> 100	> 100
2y	> 100	> 100	> 100
2z	> 100	> 100	> 100
2aa	> 100	> 100	> 100
2ab	> 100	> 100	> 100
2ac	> 100	> 100	> 100
2ad	> 100	> 100	> 100
2ae	> 100	> 100	> 100
2af	> 100	> 100	> 100
3a	> 100	> 100	> 100
3b	> 100	> 100	> 100
3c	> 100	> 100	> 100
3d	> 100	> 100	> 100
3e	> 100	> 100	> 100
3f	> 100	> 100	> 100

3g	> 100	> 100	> 100
3h	> 100	> 100	> 100
3i	> 100	> 100	> 100
3j	> 100	> 100	> 100
3k	> 100	> 100	> 100
3l	> 100	> 100	> 100

[a]IC_{50} represents the concentration inhibiting 50% of cell proliferation. [b]Each value is expressed in μM and represents the mean of three data.

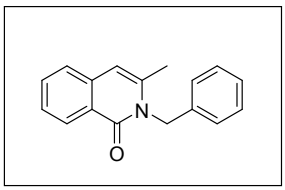
4. ^{1}H, ^{19}F, ^{13}C NMR, MP and MS Data for All Products

2-Benzylisoquinolin-1(2*H*)-one (**2a**)[1]



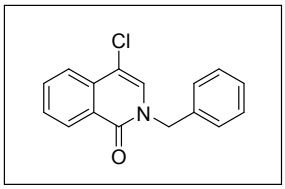
Yellow oil (87%, 40.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 8.3 Hz, 1H), 7.58–7.47 (m, 1H), 7.45–7.33 (m, 2H), 7.30–7.12 (m, 5H), 6.98 (d, *J* = 7.4 Hz, 1H), 6.37 (d, *J* = 7.4 Hz, 1H), 5.12 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3, 137.1, 137.0, 132.3, 131.4, 128.9, 128.1, 128.0, 127.9, 127.0, 126.4, 126.0, 106.5, 51.8 ppm.

2-Benzyl-3-methylisoquinolin-1(2*H*)-one (**2b**)[2]



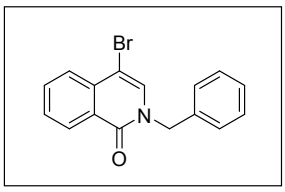
Yellow solid (76%, 37.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.2 Hz, 1H), 7.66–7.56 (m, 1H), 7.48–7.38 (m, 2H), 7.32–7.12 (m, 5H), 6.37 (s, 1H), 5.42 (s, 2H), 2.32 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.6, 139.6, 137.3, 136.9, 132.5, 128.8, 128.3, 127.3, 126.4, 126.1, 125.2, 124.5, 106.3, 47.2, 20.8 ppm.

2-Benzyl-4-chloroisoquinolin-1(2*H*)-one (**2c**)



Yellow solid (72%, 38.8 mg), mp 61–62 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 8.0 Hz, 1H), 7.86–7.76 (m, 1H), 7.75–7.65 (m, 1H), 7.58–7.48 (m, 1H), 7.46–7.23 (m, 5H), 7.21 (s, 1H), 5.17 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.2, 136.3, 134.6, 132.9, 129.2, 129.0, 128.5, 128.1, 128.1, 127.9, 126.2, 123.4, 111.6, 51.8 ppm. HRMS (m/z) (ESI): calcd for $C_{16}H_{12}ONClNa$ $[M+Na]^{+}$: 292.0500, found 292.0500.

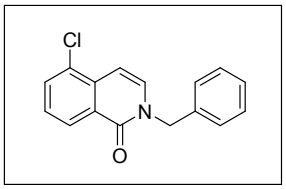
2-Benzyl-4-bromoisoquinolin-1(2*H*)-one (**2d**)[1]



Yellow solid (70%, 44.0 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 7.9 Hz, 1H), 7.90–7.76 (m, 1H), 7.75–7.66 (m, 1H), 7.60–7.50 (m, 1H), 7.45–7.29 (m, 5H), 7.25 (s, 1H), 5.19 (s, 2H) ppm.

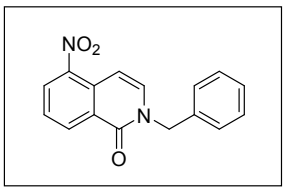
^{13}C NMR (100 MHz, $CDCl_3$) δ 161.5, 136.4, 135.5, 133.1, 131.9, 129.0, 128.6, 128.2, 128.2, 128.0, 126.6, 126.0, 100.3, 51.9 ppm.

2-Benzyl-5-chloroisoquinolin-1(2*H*)-one (**2e**)



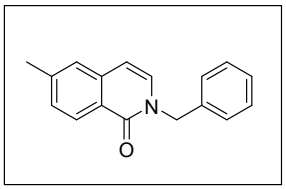
Yellow solid (75%, 40.40 mg), mp 111–112 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 8.1 Hz, 1H), 7.66 (d, *J* = 7.7 Hz, 1H), 7.48–7.20 (m, 6H), 7.16 (d, *J* = 7.6 Hz, 1H), 6.82 (d, *J* = 7.6 Hz, 1H), 5.20 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.6, 136.5, 135.0, 132.5, 132.4, 130.4, 128.9, 128.8, 128.0, 127.8, 127.1, 127.0, 102.5, 52.0 ppm. HRMS (m/z) (ESI): calcd for $C_{16}H_{12}ONClNa$ $[M+Na]^+$: 292.0500, found 292.0497.

2-Benzyl-5-nitroisoquinolin-1(2*H*)-one (**2f**)[1]



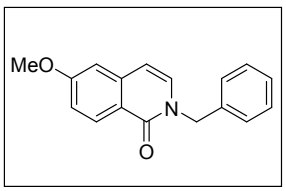
Yellow solid (86%, 48.2 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.78 (d, *J* = 8.0 Hz, 1H), 8.39 (d, *J* = 7.9 Hz, 1H), 7.60–7.55 (m, 1H), 7.50–7.10 (m, 7H), 5.22 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.8, 144.8, 136.0, 135.1, 134.6, 130.9, 129.5, 129.1, 128.4, 128.3, 128.2, 125.9, 101.0, 52.2 ppm.

2-Benzyl-6-methylisoquinolin-1(2*H*)-one (**2g**)[1]



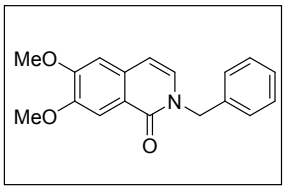
Yellow solid (81%, 40.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 8.2 Hz, 1H), 7.43–7.19 (m, 7H), 7.04 (d, *J* = 7.4 Hz, 1H), 6.40 (d, *J* = 7.4 Hz, 1H), 5.20 (s, 2H), 2.46 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 142.9, 137.3, 137.1, 131.5, 128.9, 128.6, 128.1, 128.0, 127.9, 125.8, 124.2, 106.4, 51.7, 21.9 ppm.

2-Benzyl-6-methoxyisoquinolin-1(2*H*)-one (**2h**)[3]



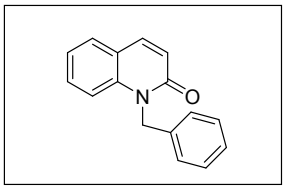
Yellow solid (85%, 45.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 8.9 Hz, 1H), 7.32–7.13 (m, 5H), 7.03–6.91 (m, 2H), 6.76 (d, *J* = 2.4 Hz, 1H), 6.31 (d, *J* = 7.4 Hz, 1H), 5.11 (s, 2H), 3.80 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.8, 162.1, 139.2, 137.2, 132.1, 130.2, 128.9, 128.0, 127.8, 120.3, 116.4, 106.9, 106.3, 55.6, 51.6 ppm.

2-Benzyl-6,7-dimethoxyisoquinolin-1(2*H*)-one (**2i**)[3]



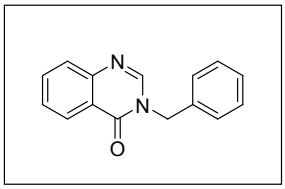
Yellow solid (88%, 52.0 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (s, 1H), 7.37–7.22 (m, 5H), 7.01 (d, *J* = 7.3 Hz, 1H), 6.84 (s, 1H), 6.39 (d, *J* = 7.3 Hz, 1H), 5.20 (s, 2H), 3.99 (s, 3H), 3.95 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.6, 153.4, 149.3, 137.1, 132.4, 130.0, 128.8, 127.9, 127.7, 120.2, 107.9, 106.0, 106.0, 56.1, 56.0, 51.8 ppm.

1-Benzylquinolin-2(1*H*)-one (**2j**)[1]



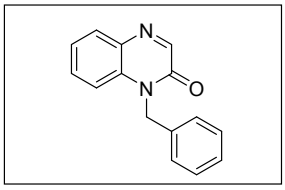
Yellow solid (76%, 35.8 mg). ^{1}H NMR (400 MHz, DMSO) δ 7.98 (d, *J* = 9.4 Hz, 1H), 7.73 (d, *J* = 7.6 Hz, 1H), 7.55–7.44 (m, 1H), 7.42–7.12 (m, 7H), 6.74 (d, *J* = 9.4 Hz, 1H), 5.52 (s, 2H) ppm. ^{13}C NMR (100 MHz, DMSO) δ 161.4, 139.9, 139.0, 136.8, 130.7, 129.0, 128.6, 127.0, 126.5, 122.1, 121.0, 120.4, 115.0, 44.6 ppm.

3-Benzylquinazolin-4(3*H*)-one (**2k**)[4]



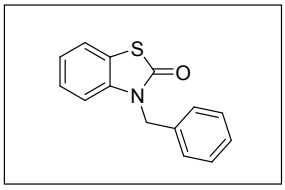
Yellow solid (86%, 40.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.9 Hz, 1H), 8.11 (s, 1H), 7.83–7.64 (m, 2H), 7.55–7.46 (m, 1H), 7.44–7.18 (m, 5H), 5.20 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.2, 148.1, 146.5, 135.8, 134.4, 129.1, 128.4, 128.1, 127.6, 127.5, 127.0, 122.3, 49.7 ppm.

1-Benzylquinoxalin-2(1*H*)-one (**2l**)[5]



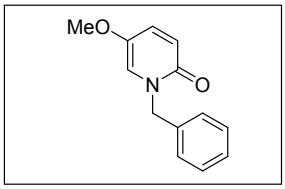
Yellow solid (84%, 39.7 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 7.88 (d, *J* = 7.5 Hz, 1H), 7.62–7.04 (m, 8H), 5.47 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.2, 150.3, 135.0, 133.6, 132.5, 131.1, 130.6, 129.0, 127.8, 126.8, 123.8, 114.7, 45.6 ppm.

3-Benzylbenzo[*d*]thiazol-2(3*H*)-one (**2m**)[6]



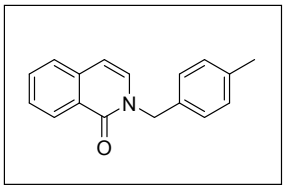
Yellow solid (70%, 33.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (d, *J* = 7.7 Hz, 1H), 7.39–7.16 (m, 6H), 7.15–7.06 (m, 1H), 6.95 (d, *J* = 8.0 Hz, 1H), 5.14 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.4, 137.1, 135.3, 129.0, 128.0, 127.2, 126.4, 123.4, 122.8, 122.7, 111.4, 46.3 ppm.

1-Benzyl-5-methoxypyridin-2(1*H*)-one (**2n**)[7]



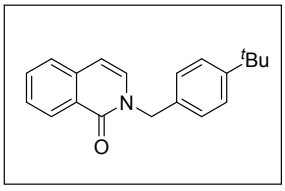
Brown oil (80%, 34.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46–7.24 (m, 4H), 7.14 (d, *J* = 6.6 Hz, 2H), 6.82–6.45 (m, 2H), 5.04 (s, 2H), 3.79 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.3, 136.7, 129.0, 128.2, 127.3, 126.4, 122.2, 116.2, 110.5, 53.9, 51.1 ppm.

2-(4-Methylbenzyl)isoquinolin-1(2*H*)-one (**2o**)[1]



Yellow solid (85%, 42.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 8.3 Hz, 1H), 7.73–7.57 (m, 1H), 7.56–7.42 (m, 2H), 7.30–7.20 (m, 2H), 7.19–7.10 (m, 2H), 7.07 (d, *J* = 7.4 Hz, 1H), 6.46 (d, *J* = 7.4 Hz, 1H), 5.18 (s, 2H), 2.32 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3, 137.7, 137.1, 134.0, 132.2, 131.3, 129.6, 128.2, 128.1, 126.9, 126.4, 126.0, 106.4, 51.5, 21.2 ppm.

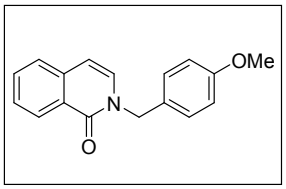
2-(4-(*Tert*-butyl)benzyl)isoquinolin-1(2*H*)-one (**2p**)



Yellow solid (81%, 47.2 mg), mp 105–106 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 8.3 Hz, 1H), 7.49–7.41 (m, 1H), 7.37–7.28 (m, 2H), 7.25–7.18 (m, 2H), 7.17–7.10 (m, 2H), 6.99–6.87 (m, 1H), 6.36–6.23 (m, 1H), 5.04 (s, 2H), 1.15 (s, 9H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3,

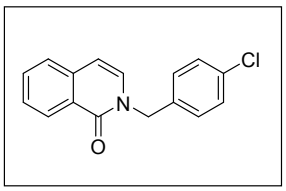
150.7, 137.0, 133.9, 132.1, 131.4, 127.9, 127.7, 126.8, 126.3, 125.9, 125.7, 106.3, 51.4, 34.5, 31.3 ppm. HRMS (m/z) (ESI): calcd for $C_{20}H_{21}NONa$ $[M+Na]^+$: 314.1515, found 314.1514.

2-(4-Methoxybenzyl)isoquinolin-1(2*H*)-one (**2q**)[1]



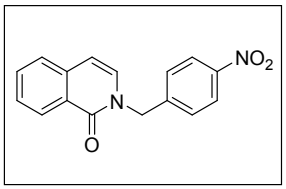
Yellow solid (85%, 45.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 8.0 Hz, 1H), 7.65–7.53 (m, 1H), 7.51–7.38 (m, 2H), 7.28 (d, *J* = 8.5 Hz, 2H), 7.06 (d, *J* = 7.4 Hz, 1H), 6.84 (d, *J* = 8.6 Hz, 2H), 6.42 (d, *J* = 7.4 Hz, 1H), 5.12 (s, 2H), 3.73 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.1, 159.1, 136.9, 132.0, 131.1, 129.4, 129.0, 127.8, 126.7, 126.2, 125.8, 114.0, 106.2, 55.1, 51.0 ppm.

2-(4-Chlorobenzyl)isoquinolin-1(2*H*)-one (**2r**)[1]



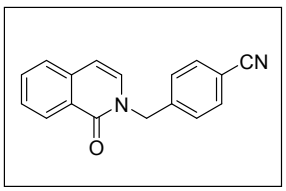
Yellow solid (86%, 46.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 8.2 Hz, 1H), 7.65–7.55 (m, 1H), 7.52–7.41 (m, 2H), 7.32–7.18 (m, 4H), 7.03 (d, *J* = 7.4 Hz, 1H), 6.45 (d, *J* = 7.4 Hz, 1H), 5.13 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.1, 136.9, 135.4, 133.6, 132.3, 131.1, 129.3, 128.9, 127.9, 127.0, 126.2, 126.0, 106.6, 51.2 ppm.

2-(4-Nitrobenzyl)isoquinolin-1(2*H*)-one (**2s**)[8]



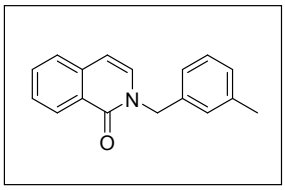
Yellow solid (87%, 48.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 7.6 Hz, 1H), 8.31–8.03 (m, 2H), 7.85–7.32 (m, 5H), 7.20–6.99 (m, 1H), 6.69–6.43 (m, 1H), 5.29 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3, 147.6, 144.3, 137.1, 132.7, 131.1, 128.5, 128.4, 128.1, 127.4, 126.2, 124.1, 107.2, 51.7 ppm.

4-((1-Oxoisoquinolin-2(1*H*)-yl)methyl)benzonitrile (**2t**)[8]



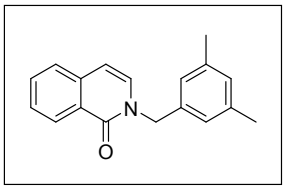
Yellow solid (83%, 43.2 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.0 Hz, 1H), 7.74–7.57 (m, 3H), 7.57–7.46 (m, 2H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.07 (d, *J* = 7.4 Hz, 1H), 6.54 (d, *J* = 7.3 Hz, 1H), 5.25 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3, 142.3, 137.1, 132.7, 132.6, 131.2, 128.4, 128.1, 127.4, 126.3, 126.2, 118.7, 111.8, 107.2, 51.9 ppm.

2-(3-Methylbenzyl)isoquinolin-1(2*H*)-one (**2u**)[1]



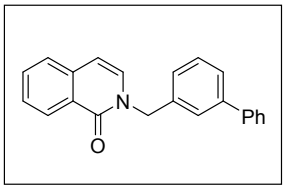
Yellow solid (86%, 42.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (d, *J* = 8.0 Hz, 1H), 7.71–7.58 (m, 1H), 7.56–7.41 (m, 2H), 7.34–6.95 (m, 5H), 6.48 (d, *J* = 7.4 Hz, 1H), 5.19 (s, 2H), 2.32 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 138.7, 137.1, 136.9, 132.3, 131.4, 128.8, 128.8, 128.7, 128.2, 127.0, 126.4, 126.0, 125.1, 106.5, 51.7, 21.5 ppm.

2-(3,5-Dimethylbenzyl)isoquinolin-1(2*H*)-one (**2v**)[1]



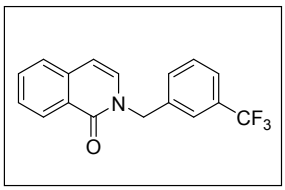
Yellow solid (87%, 45.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50 (d, *J* = 8.3 Hz, 1H), 7.69–7.57 (m, 1H), 7.56–7.41 (m, 2H), 7.08 (d, *J* = 7.4 Hz, 1H), 7.05–6.80 (m, 3H), 6.46 (d, *J* = 7.4 Hz, 1H), 5.15 (s, 2H), 2.28 (s, 6H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.2, 138.4, 137.0, 136.8, 132.2, 131.4, 129.5, 128.1, 126.8, 126.3, 125.9, 125.8, 106.3, 51.5, 21.3 ppm.

2-([1,1'-Biphenyl]-3-ylmethyl)isoquinolin-1(2*H*)-one (**2w**)



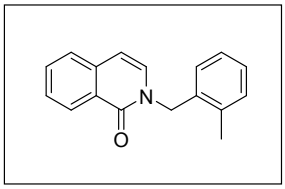
Yellow solid (82%, 51.1 mg), mp 110–111 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (d, *J* = 7.7 Hz, 1H), 7.67–7.61 (m, 1H), 7.61–7.46 (m, 6H), 7.45–7.37 (m, 3H), 7.37–7.28 (m, 2H), 7.13 (d, *J* = 7.4 Hz, 1H), 6.50 (d, *J* = 7.4 Hz, 1H), 5.30 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 142.0, 140.9, 137.6, 137.1, 132.4, 131.4, 129.4, 128.9, 128.2, 127.6, 127.3, 127.1, 127.0, 126.9, 126.9, 126.4, 126.1, 106.7, 51.8 ppm. HRMS (m/z) (ESI): calcd for $C_{22}H_{17}ONNa$ $[M+Na]^+$: 334.1202, found 334.1201.

2-(3-(Trifluoromethyl)benzyl)isoquinolin-1(2*H*)-one (**2x**)[9]



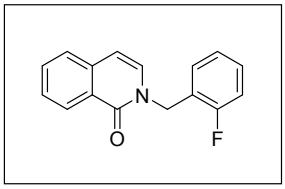
Yellow solid (86%, 52.2 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 6.2 Hz, 1H), 7.79–7.21 (m, 7H), 7.15–6.98 (m, 1H), 6.58–6.33 (m, 1H), 5.21 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.1, 138.0, 137.0, 132.4, 130.9 (q, *J* = 32.3 Hz), 131.2, 131.1, 129.3, 127.9, 127.0, 126.1, 126.0, 123.9 (q, *J* = 272.4 Hz), 124.6 (q, *J* = 3.7 Hz), 124.4 (q, *J* = 3.7 Hz), 106.7, 51.4 ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.41 ppm.

2-(2-Methylbenzyl)isoquinolin-1(2*H*)-one (**2y**)[1]



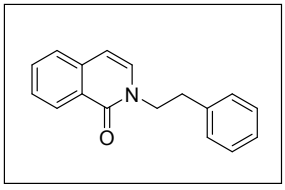
Brown solid (84%, 41.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, *J* = 8.0 Hz, 1H), 8.08–6.76 (m, 8H), 6.49 (d, *J* = 7.4 Hz, 1H), 5.25 (s, 2H), 2.34 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.2, 136.9, 136.5, 134.4, 132.3, 130.8, 130.7, 128.3, 128.1, 128.0, 126.9, 126.3, 126.1, 125.9, 106.3, 49.4, 19.2 ppm.

2-(2-Fluorobenzyl)isoquinolin-1(2*H*)-one (**2z**)



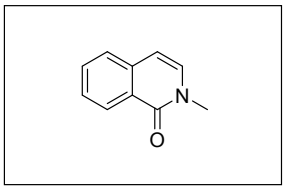
Yellow solid (83%, 42.0 mg), mp 113–114 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 8.1 Hz, 1H), 7.53–7.41 (m, 1H), 7.40–7.22 (m, 3H), 7.18–7.00 (m, 2H), 6.99–6.83 (m, 2H), 6.51–6.14 (m, 1H), 5.10 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.2, 160.9 (d, *J* = 246.3 Hz), 137.0, 132.2, 131.6 (d, *J* = 2.4 Hz), 131.0 (d, *J* = 3.8 Hz), 129.7 (d, *J* = 8.2 Hz), 127.8, 126.8, 126.1, 125.9, 124.4 (d, *J* = 3.5 Hz), 123.7 (d, *J* = 14.7 Hz), 115.3 (d, *J* = 21.5 Hz), 106.4, 45.8 (d, *J* = 3.7 Hz) ppm. ^{19}F NMR (376 MHz, $CDCl_3$) δ -118.1 ppm. HRMS (m/z) (ESI): calcd for $C_{16}H_{12}ONFNa$ $[M+Na]^+$: 276.0795, found 276.0794.

2-Phenethylisoquinolin-1(2*H*)-one (**2aa**)[10]



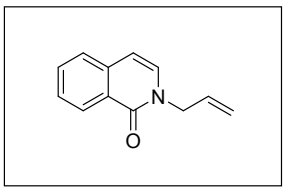
Yellow solid (81%, 40.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.8 Hz, 1H), 7.63–7.47 (m, 1H), 7.46–7.32 (m, 2H), 7.28–7.04 (m, 5H), 6.69 (d, *J* = 7.3 Hz, 1H), 6.27 (d, *J* = 7.3 Hz, 1H), 4.11 (t, *J* = 7.5 Hz, 2H), 3.00 (t, *J* = 7.4 Hz, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.1, 138.3, 137.2, 132.2, 132.0, 129.1, 128.7, 127.8, 126.8, 126.7, 126.3, 125.9, 105.7, 51.6, 35.3 ppm.

2-Methylisoquinolin-1(2*H*)-one (**2ab**)[10]



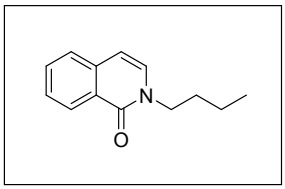
Yellow solid (86%, 27.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (d, *J* = 7.8 Hz, 1H), 7.75–7.25 (m, 3H), 7.00 (d, *J* = 7.1 Hz, 1H), 6.41 (d, *J* = 7.2 Hz, 1H), 3.54 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3, 136.9, 132.2, 131.7, 127.3, 126.4, 125.8, 125.7, 105.6, 36.7 ppm.

2-Allylisoquinolin-1(2*H*)-one (**2ac**)[10]



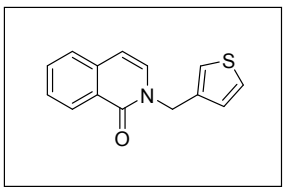
Yellow solid (76%, 28.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.0 Hz, 1H), 8.00–7.30 (m, 3H), 7.02 (d, *J* = 7.4 Hz, 1H), 6.48 (d, *J* = 7.4 Hz, 1H), 6.15–5.71 (m, 1H), 5.54–4.95 (m, 2H), 4.62 (d, *J* = 5.7 Hz, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.0, 137.1, 132.9, 132.2, 131.2, 128.0, 126.9, 126.3, 126.0, 118.0, 106.3, 50.7 ppm.

2-Butylisoquinolin-1(2*H*)-one (**2ad**)[11]



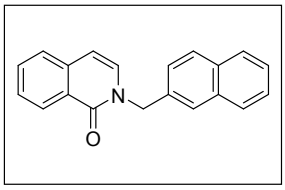
Yellow oil (80%, 32.2 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50–8.25 (m, 1H), 7.57–7.37 (m, 3H), 7.05–6.94 (m, 1H), 6.48–6.34 (m, 1H), 3.97–3.88 (m, 2H), 1.74–1.65 (m, 2H), 1.38–1.29 (m, 2H), 0.93–0.86 (m, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.0, 137.0, 131.9, 131.7, 127.7, 126.6, 126.3, 125.8, 105.9, 49.1, 31.3, 19.9, 13.7 ppm.

2-(Thiophen-3-ylmethyl)isoquinolin-1(2*H*)-one (**2ae**)



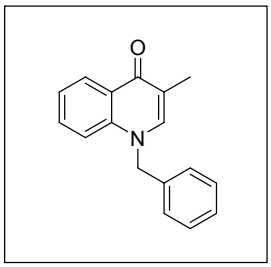
Yellow solid (87%, 42.0 mg), mp 110–111 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 8.2 Hz, 1H), 7.67–7.56 (m, 1H), 7.55–7.40 (m, 2H), 7.36–7.18 (m, 2H), 7.18–6.93 (m, 2H), 6.46 (d, *J* = 7.4 Hz, 1H), 5.18 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.1, 137.5, 137.0, 132.3, 131.1, 128.0, 127.5, 126.9, 126.6, 126.3, 126.0, 123.4, 106.5, 47.0 ppm. HRMS (m/z) (ESI): calcd for $C_{14}H_{11}ONSNa$ $[M+Na]^+$: 264.0454, found 264.0455.

2-(Naphthalen-2-ylmethyl)isoquinolin-1(2*H*)-one (**2af**)



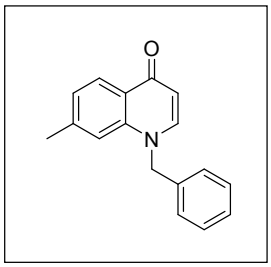
White solid (83%, 47.4 mg), mp 125–126 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (d, *J* = 8.0 Hz, 1H), 7.98–7.71 (m, 4H), 7.69–7.61 (m, 1H), 7.61–7.27 (m, 5H), 7.10 (d, *J* = 7.4 Hz, 1H), 6.46 (d, *J* = 7.4 Hz, 1H), 5.37 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 137.1, 134.5, 133.4, 133.0, 132.3, 131.3, 128.8, 128.2, 127.9, 127.8, 127.0, 126.9, 126.4, 126.4, 126.2, 126.0, 125.9, 106.6, 51.8 ppm. HRMS (m/z) (ESI): calcd for $C_{20}H_{15}ONNa$ $[M+Na]^+$: 308.1046, found 308.1048.

1-Benzyl-3-methylquinolin-4(1*H*)-one (**3a**)[12]



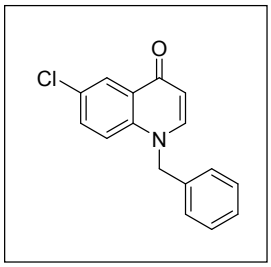
Yellow solid (78%, 38.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (d, *J* = 3.2 Hz,, 1H), 7.68–7.56 (m, 1H), 7.53–7.44 (m, 1H), 7.43–7.17 (m, 5H), 7.17–6.84 (m, 2H), 5.30 (s, 2H), 2.16 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.2, 141.5, 139.9, 135.6, 131.7, 129.2, 128.2, 127.0, 126.0, 125.8, 123.2, 118.7, 115.8, 56.2, 14.0 ppm.

1-Benzyl-7-methylquinolin-4(1*H*)-one (**3b**)



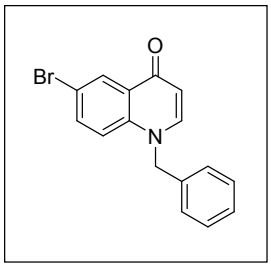
Yellow solid (76%, 37.9 mg). mp 99–100 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 8.2 Hz, 1H), 7.57 (d, *J* = 7.7 Hz, 1H), 7.39–7.27 (m, 3H), 7.14 (t, *J* = 8.2 Hz, 3H), 7.08 (s, 1H), 6.30 (d, *J* = 7.7 Hz, 1H), 5.29 (s, 2H), 2.37 (s, 3H) ppm. ^{13}CNMR (100 MHz, $CDCl_3$) δ 178.3, 143.6, 143.1, 140.4, 135.3, 129.3, 128.3, 127.0, 126.2, 125.6, 125.3, 115.8, 110.3, 56.3, 22.3 ppm. HRMS (m/z) (ESI): calcd for $C_{17}H_{16}NO$ $[M+H]^+$: 250.1226, found 250.1229.

1-Benzyl-6-chloroquinolin-4(1*H*)-one (**3c**)[13]



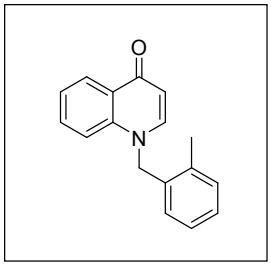
Yellow solid (73%, 39.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 2.5 Hz, 1H), 7.64 (d, *J* = 7.7 Hz, 1H), 7.49–7.41 (m, 1H), 7.41–7.26 (m, 3H), 7.26–7.20 (m, 1H), 7.11 (d, *J* = 6.8 Hz, 2H), 6.33 (d, *J* = 7.7 Hz, 1H), 5.31 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.1, 144.0, 138.6, 134.8, 132.6, 130.1, 129.4, 128.6, 128.4, 126.4, 126.1, 118.1, 110.6, 56.8 ppm.

1-Benzyl-6-bromoquinolin-4(1*H*)-one (**3d**)[13]



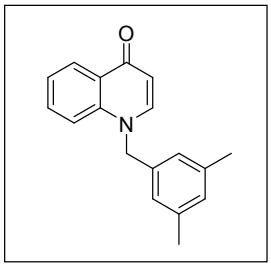
Yellow solid (75%, 47.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H), 7.78–7.47 (m, 2H), 7.46–7.22 (m, 3H), 7.21–6.99 (m, 3H), 6.32 (d, *J* = 7.6 Hz, 1H), 5.31 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.9, 144.0, 138.9, 135.2, 134.7, 129.6, 129.4, 128.6, 128.6, 126.1, 118.2, 117.7, 110.7, 56.8 ppm.

1-(2-Methylbenzyl)quinolin-4(1*H*)-one (**3e**)



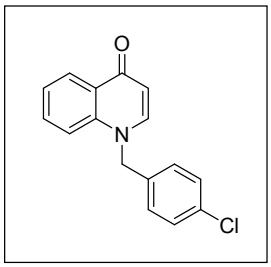
Yellow solid (72%, 35.9 mg), mp 99–100 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 8.0, 1H), 7.63–7.44 (m, 2H), 7.39–7.15 (m, 4H), 7.14–7.01 (m, 1H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.40–6.17 (m, 1H), 5.23 (s, 2H), 2.38 (s, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.2, 143.2, 140.2, 135.1, 132.6, 132.2, 130.8, 128.2, 127.1, 126.8, 126.7, 125.9, 123.7, 115.9, 110.3, 54.1, 19.1 ppm. HRMS (m/z) (ESI): calcd for $C_{17}H_{16}NO$ $[M+H]^+$: 250.1226, found 250.1229.

1-(3,5-Dimethylbenzyl)quinolin-4(1*H*)-one (**3f**)



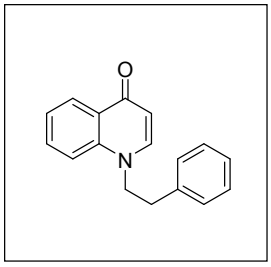
Yellow solid (72%, 37.9 mg), mp 202–203 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 7.4 Hz, 1H), 7.73–7.48 (m, 2H), 7.47–7.27 (m, 2H), 6.93 (s, 1H), 6.74 (s, 2H), 6.37 (d, *J* = 6.9 Hz, 1H), 5.24 (s, 2H), 2.26 (s, 6H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.4, 143.9, 140.3, 139.1, 135.1, 132.3, 130.1, 127.4, 127.1, 123.9, 123.9, 116.3, 110.4, 56.7, 21.4 ppm. HRMS (m/z) (ESI): calcd for $C_{18}H_{18}NO$ $[M+H]^+$: 264.1383, found 264.1385.

1-(4-Chlorobenzyl)quinolin-4(1*H*)-one (**3g**)



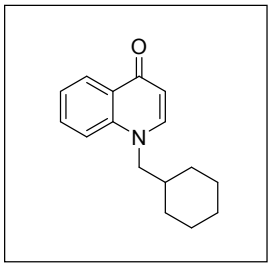
Yellow solid (72%, 38.8 mg), mp 156–157 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 7.6 Hz, 1H), 7.64 (d, *J* = 7.3 Hz, 1H), 7.59–7.47 (m, 1H), 7.47–7.15 (m, 4H), 7.06 (d, *J* = 7.4 Hz, 2H), 6.29 (d, *J* = 7.3 Hz, 1H), 5.29 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.2, 143.7, 139.9, 134.1, 133.8, 132.3, 129.4, 127.4, 127.3, 126.9, 123.8, 116.0, 110.4, 55.8 ppm. HRMS (m/z) (ESI): calcd for $C_{16}H_{13}NOCl$ $[M+H]^+$: 270.0680, found 270.0682.

1-Phenethylquinolin-4(1*H*)-one (**3h**)



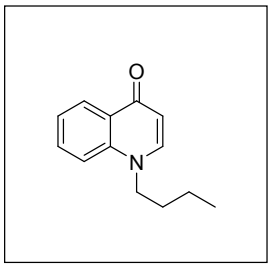
Yellow solid (75%, 37.4 mg), mp 55–56 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (d, *J* = 7.8 Hz, 1H), 7.79–7.63 (m, 1H), 7.50 (d, *J* = 8.2 Hz, 1H), 7.46–7.36 (m, 1H), 7.35–7.13 (m, 4H), 7.04 (d, *J* = 6.3 Hz, 2H), 6.08 (d, *J* = 7.3 Hz, 1H), 4.32 (t, *J* = 6.4 Hz, 2H), 3.11 (t, *J* = 6.5 Hz, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.1, 143.4, 139.5, 137.0, 132.2, 129.1, 129.0, 128.8, 127.4, 127.3, 123.6, 115.2, 109.7, 54.6, 35.1 ppm. HRMS (m/z) (ESI): calcd for $C_{17}H_{16}NO$ $[M+H]^+$: 250.1226, found 250.1226.

1-(Cyclohexylmethyl)quinolin-4(1*H*)-one (**3i**)



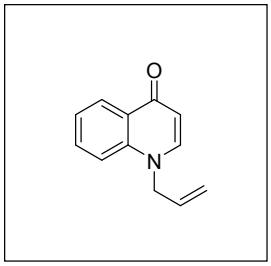
Yellow solid (80%, 38.6 mg), mp 130–131 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 8.0 Hz, 1H), 7.76–7.55 (m, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 7.43–7.27 (m, 2H), 6.23 (d, *J* = 7.6 Hz, 1H), 3.90 (d, *J* = 7.2 Hz, 2H), 1.95–1.57 (m, 6H), 1.22–0.94 (m, 5H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.1, 143.9, 139.9, 132.0, 127.2, 126.9, 123.4, 115.7, 109.3, 59.5, 36.8, 30.7, 26.0, 25.5 ppm. HRMS (m/z) (ESI): calcd for $C_{16}H_{20}NO$ $[M+H]^+$: 242.1539, found 242.1542.

1-Butylquinolin-4(1*H*)-one (**3j**)



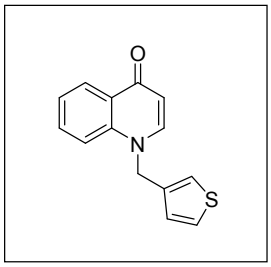
Yellow amorphous solid (78%, 31.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 7.7 Hz, 1H), 7.77–7.21 (m, 4H), 6.23 (d, *J* = 7.5 Hz, 1H), 4.09 (t, *J* = 7.0 Hz, 2H), 1.92–1.62 (m, 2H), 1.49–1.27 (m, 2H), 0.95 (t, *J* = 7.1 Hz, 3H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.0, 143.2, 139.6, 132.0, 127.2, 126.9, 123.3, 115.4, 109.7, 52.9, 30.8, 19.8, 13.6 ppm. HRMS (m/z) (ESI): calcd for $C_{13}H_{16}NO$ $[M+H]^+$: 202.1226, found 202.1228.

1-Allylquinolin-4(1*H*)-one (**3k**)[14]



Yellow solid (80%, 29.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.0 Hz, 1H), 7.70–7.56 (m, 1H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.44–7.16 (m, 2H), 6.24 (d, *J* = 7.7, 1H), 6.10–5.76 (m, 1H), 5.46–5.17 (m, 1H), 5.16–4.94 (m, 1H), 4.69 (d, *J* = 3.3 Hz, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.3, 143.2, 140.0, 132.2, 131.3, 127.2, 127.0, 123.7, 118.6, 115.9, 110.4, 54.9 ppm.

1-(Thiophen-3-ylmethyl)quinolin-4(1*H*)-one (**3l**)



White solid (81%, 39.1 mg), mp 125–126 °C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 7.7 Hz, 1H), 7.58–7.50 (m, 1H), 7.46–7.22 (m, 3H), 7.02 (s, 1H), 6.92 (d, *J* = 4.9 Hz, 1H), 6.29 (d, *J* = 7.7 Hz, 1H), 5.29 (s, 2H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$) δ 178.3, 143.3, 140.1, 136.1, 132.3, 127.6, 127.3, 127.0, 125.8, 123.8, 122.5, 115.9, 110.5, 52.4 ppm. HRMS (m/z) (ESI): calcd for $C_{14}H_{12}NO_2$ $[M+H]^+$: 242.0634, found 242.0637.

5. References

[1] W. K. Luo, X. Shi, W. Zhou and L. Yang, *Org. Lett.*, 2016, **18**, 2036−2039.

[2] G. Yang and W. Zhang, *Org. Lett.*, 2012, **14**, 268−271.

[3] B. R. Kang, S. Li, S. Mao, Y. X. Cao and S. Q. Zhang, *Bioorg. Med. Chem. Lett.*, 2015, **25**, 5808–5812.

[4] G. C. Senadi, V. S. Kudale and J.-J. Wang, *Green Chem.*, 2019, **21**, 979–985.

[5] D. Chen, Z. J. Wang and W. Bao, *J. Org. Chem.*, 2010, **75**, 5768–5771.

[6] R. Das, M. Banerjee, R. K. Rai, R. Karri and G. Roy, *Org. Biomol. Chem.*, 2018, **16**, 4243–4260.

[7] V. Patil, Q. H. Sodji, J. R. Kornacki, M. Mrksich and A. K. Oyelere, *J. Med. Chem.*, 2013, **56**, 3492−3506.

[8] T. H. Wang, W. C. Lee and T. G. Ong, *Adv. Synth. Catal.*, 2016, **358**, 2751–2758.

[9] A. D. Takwale, Y. U. Jeon, D. H. Lee, H. J. Kim and J. Y. Hwang, *Tetrahedron Lett.*, 2019, **60**, 1259–1261.

[10] G. Wang, W. Hu, Z. Hu, Y. Zhang, W. Yao, L. Li, Z. Fu and W. Huang, *Green Chem.*, 2018, **20**, 3302–3307.

[11] A. Varela-Fernandez, J. A. Varela and C. Saa, *Adv. Synth. Catal.*, 2011, **353**, 1933–1937.

[12] P. Bichovski, T. M. Haas, D. Kratzert and J. Streuff, *Chem. Eur. J.*, 2015, **21**, 2339–2342.

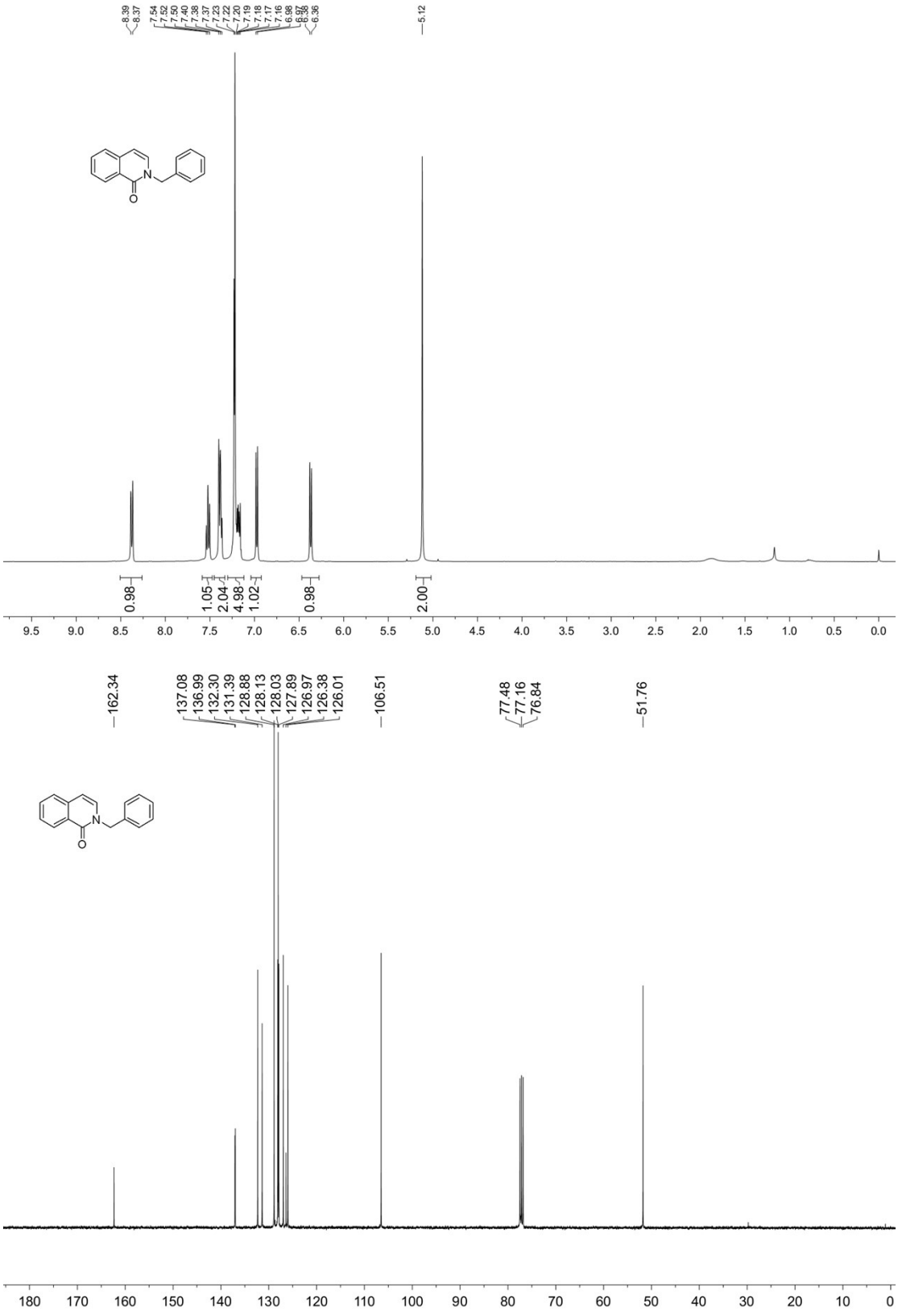
[13] S. Shin, Y. Kim, K. Kima and S. Hong, *Org. Biomol. Chem.*, 2014, **12**, 5719–5726.

[14] X. Ji, D. Li, Z. Wang, M. Tan, H. Huang, G. J. Deng, *Asian J. Org. Chem.*, 2018, **7**, 711–714.

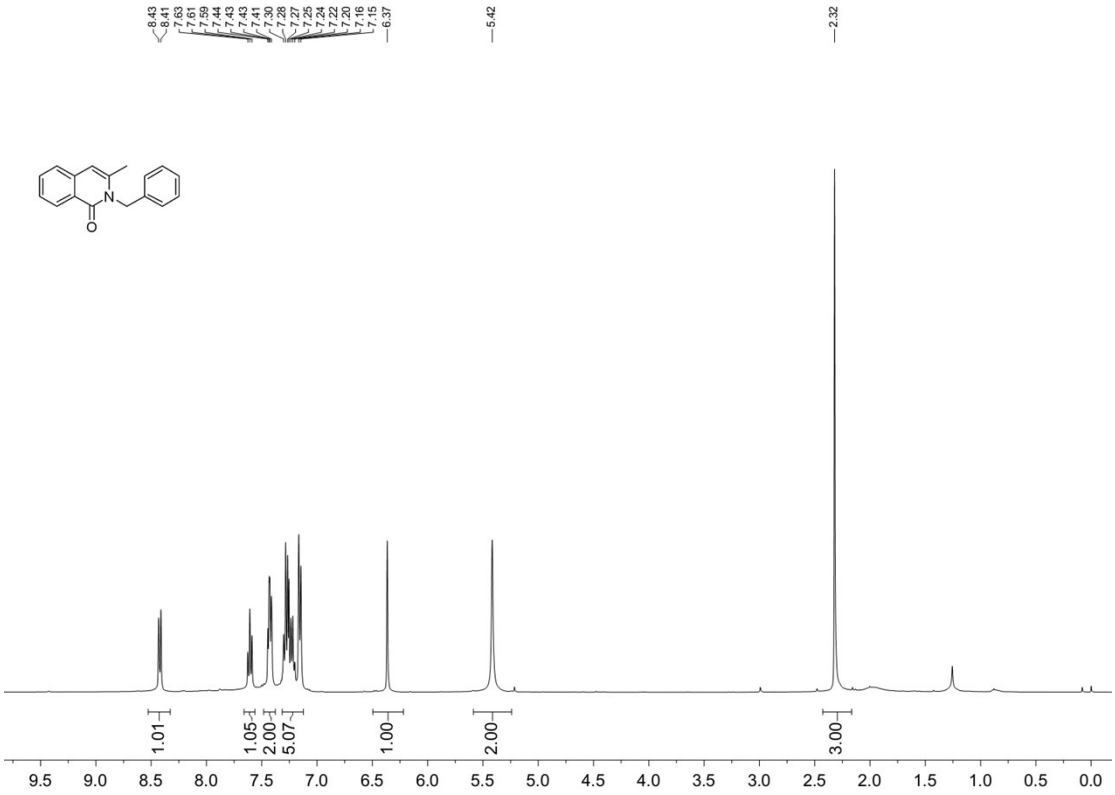
6. Copies of ^{1}H NMR, ^{19}F NMR and ^{13}C NMR Spectra for All

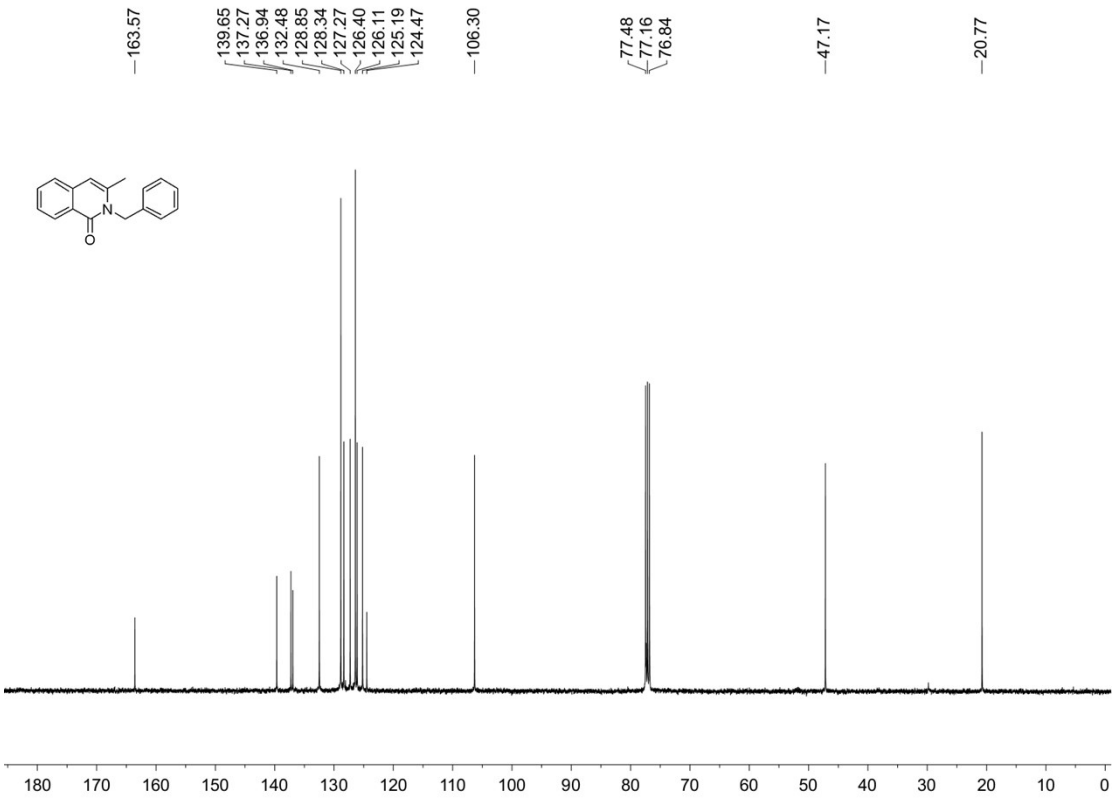
Compounds

2-Benzylisoquinolin-1(2*H*)-one (**2a**)

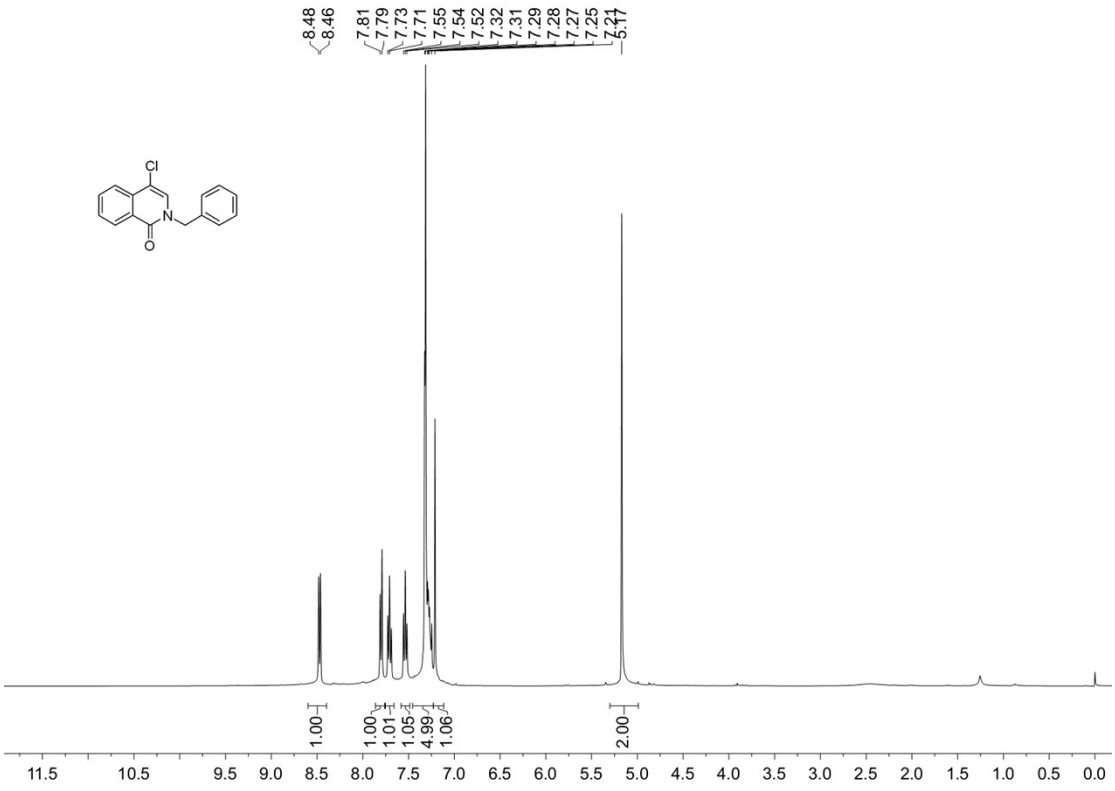


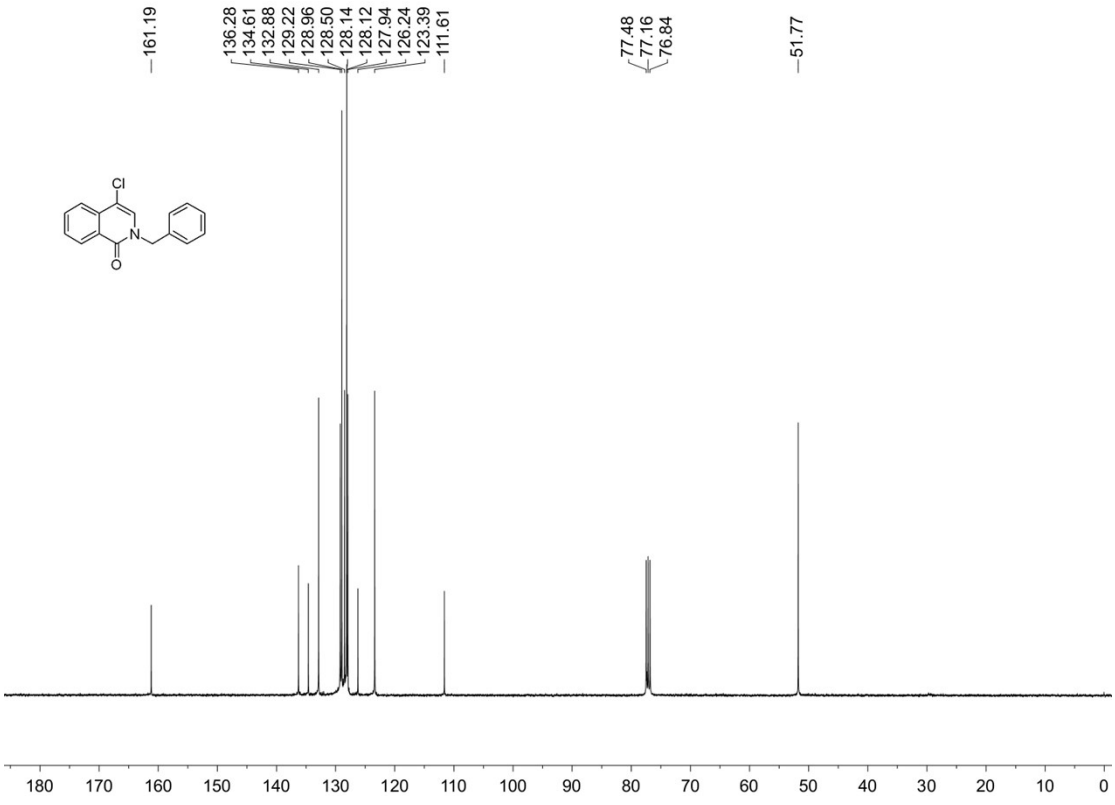
2-Benzyl-3-methylisoquinolin-1(2*H*)-one (**2b**)



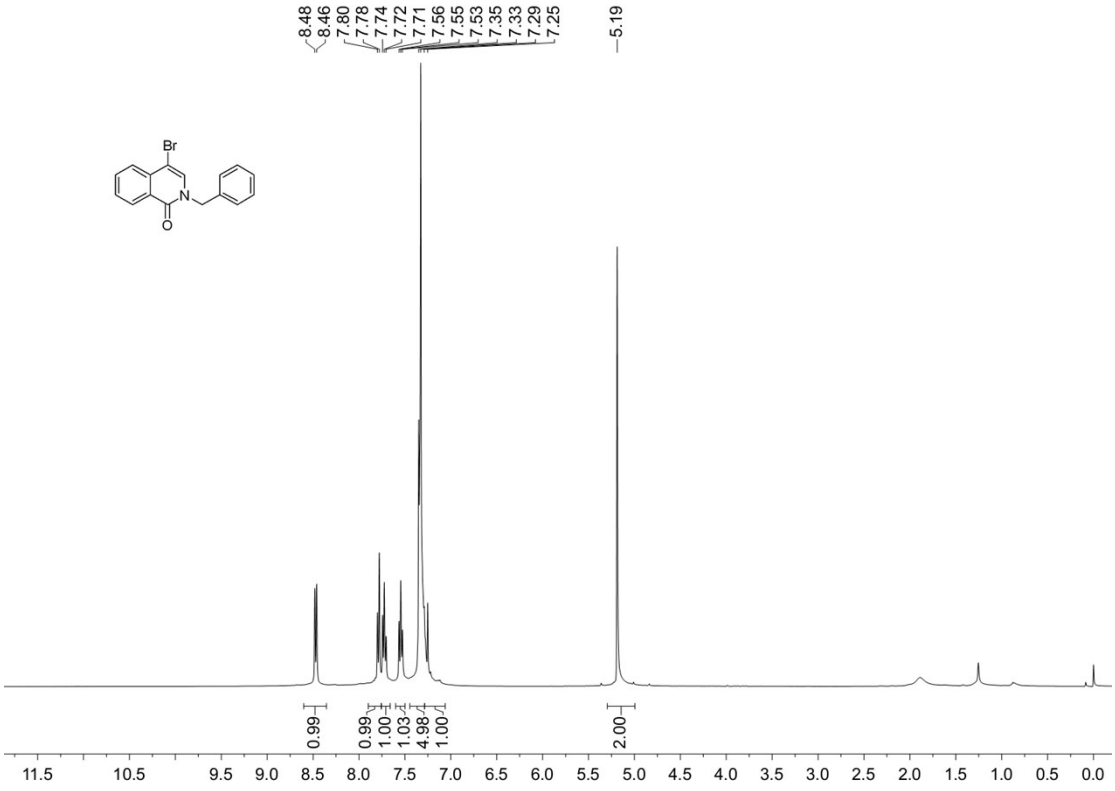


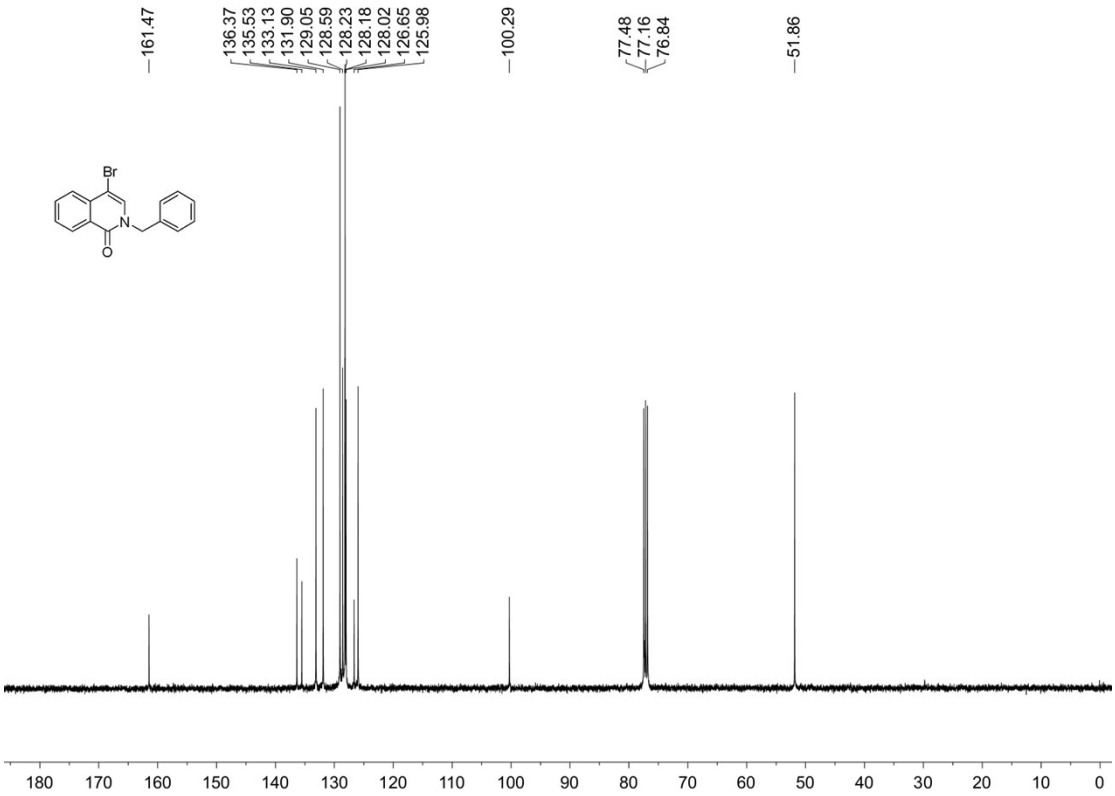
2-Benzyl-4-chloroisoquinolin-1(2*H*)-one (**2c**)



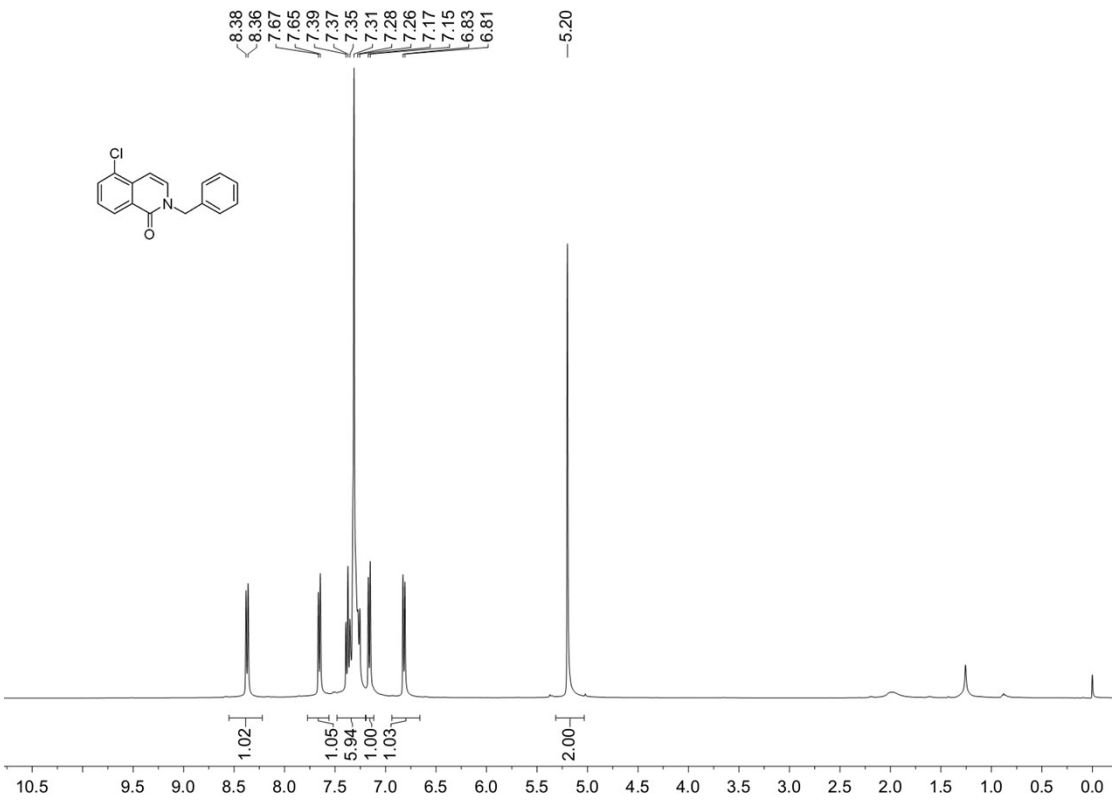


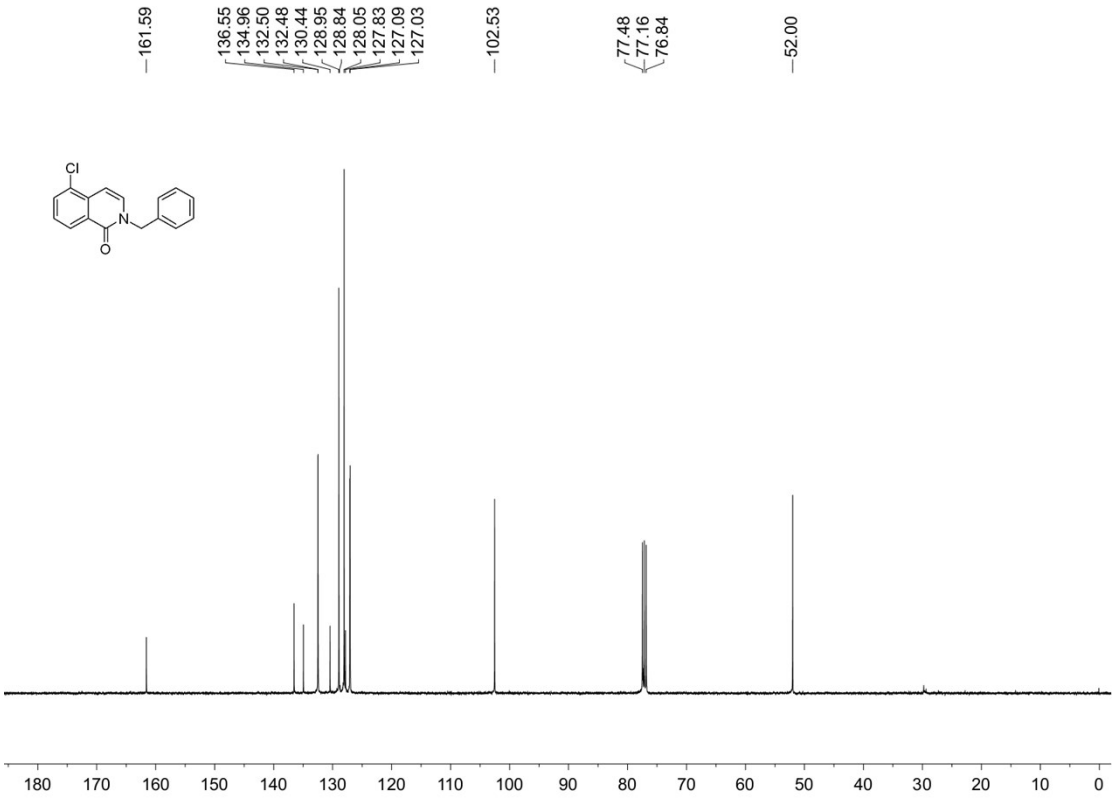
2-Benzyl-4-bromoisoquinolin-1(2*H*)-one (**2d**)



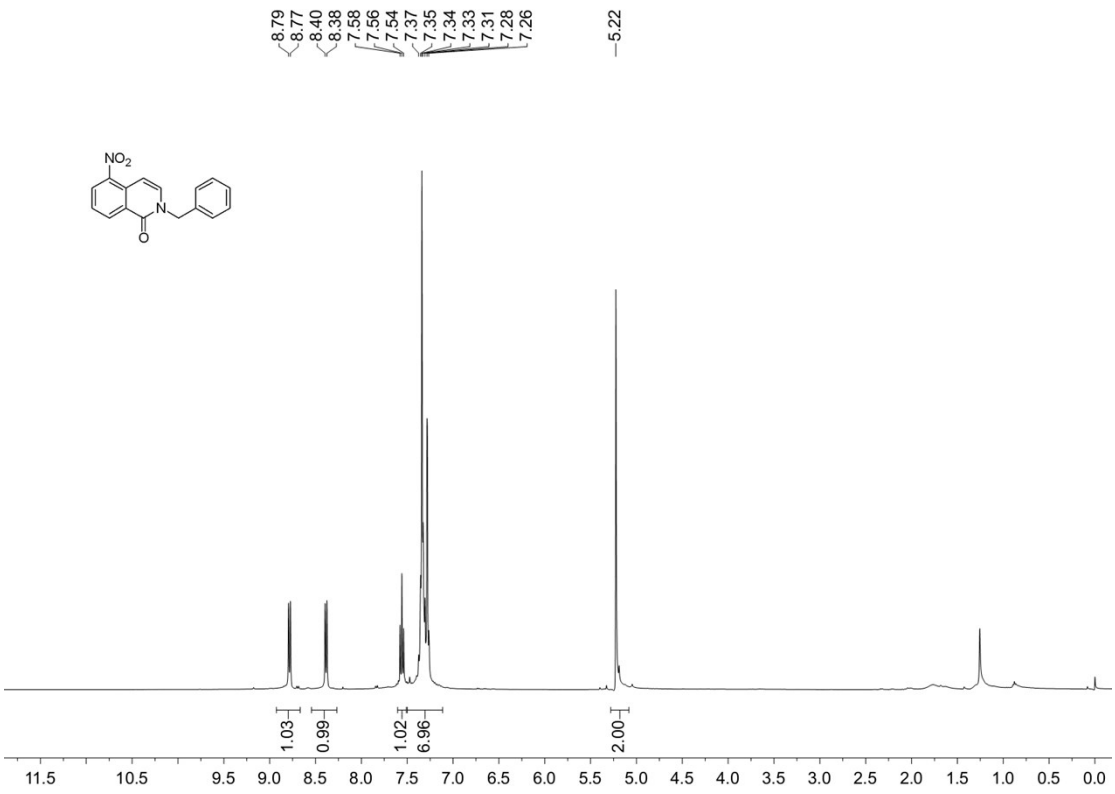


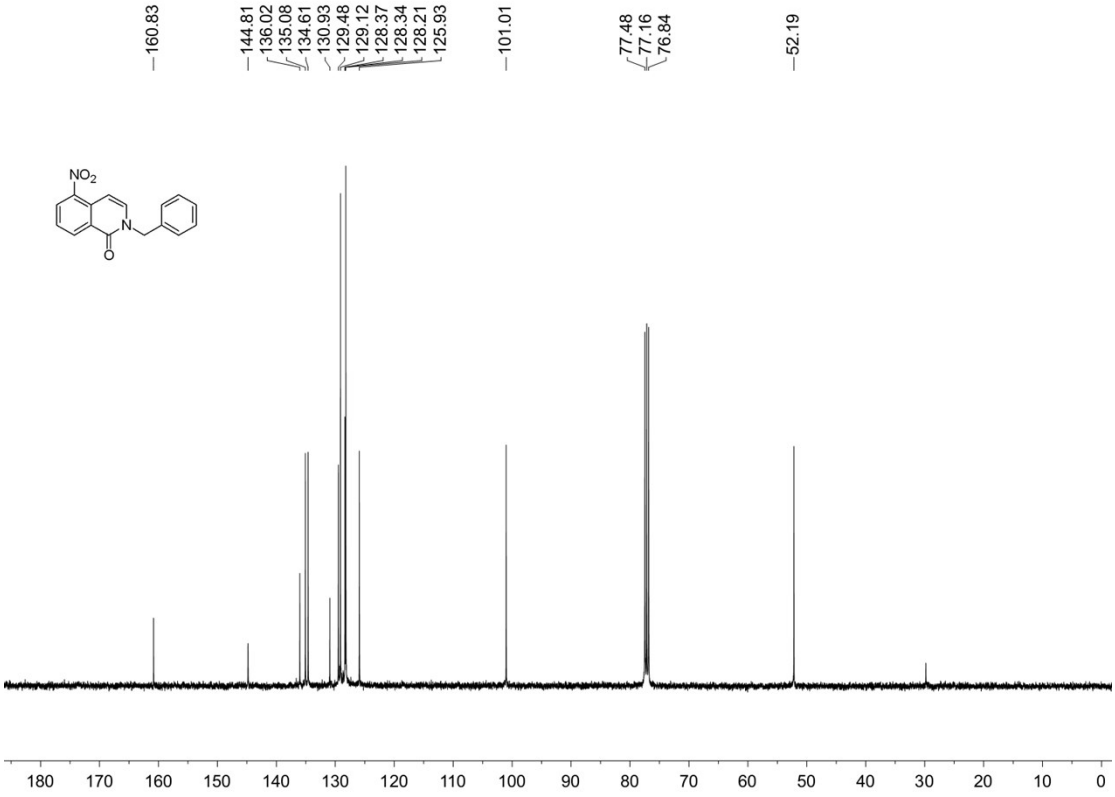
2-Benzyl-5-chloroisoquinolin-1(2*H*)-one (**2e**)



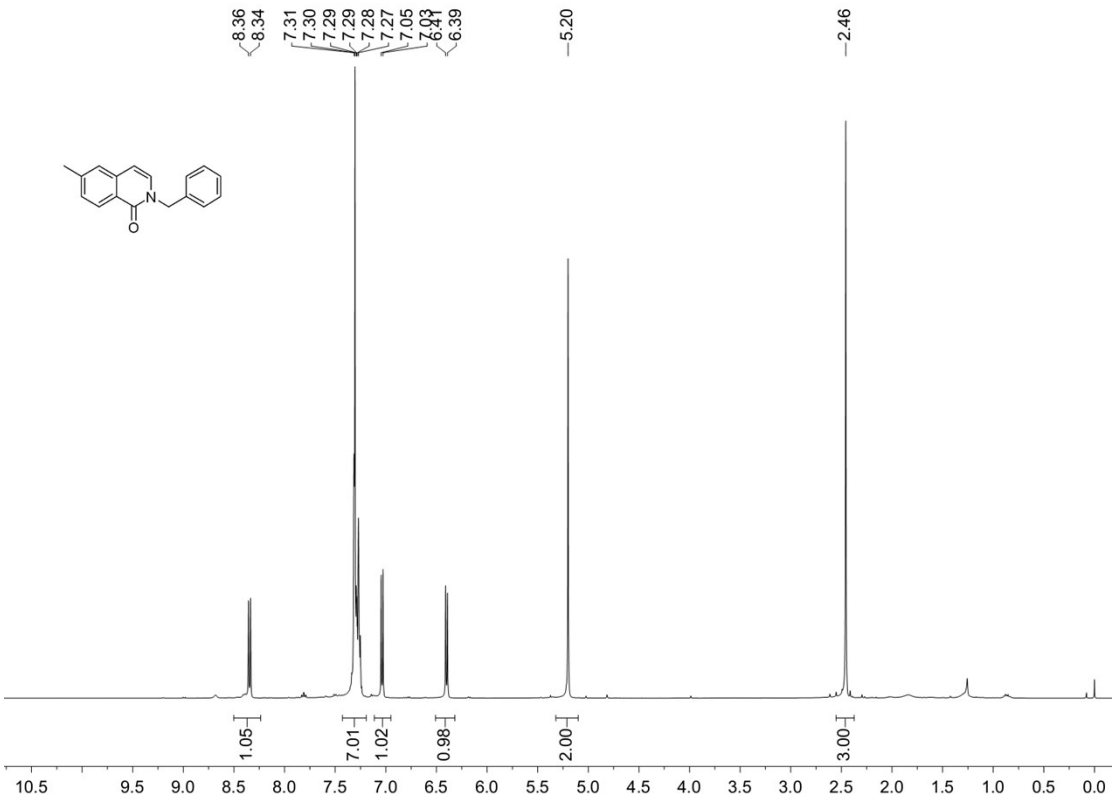


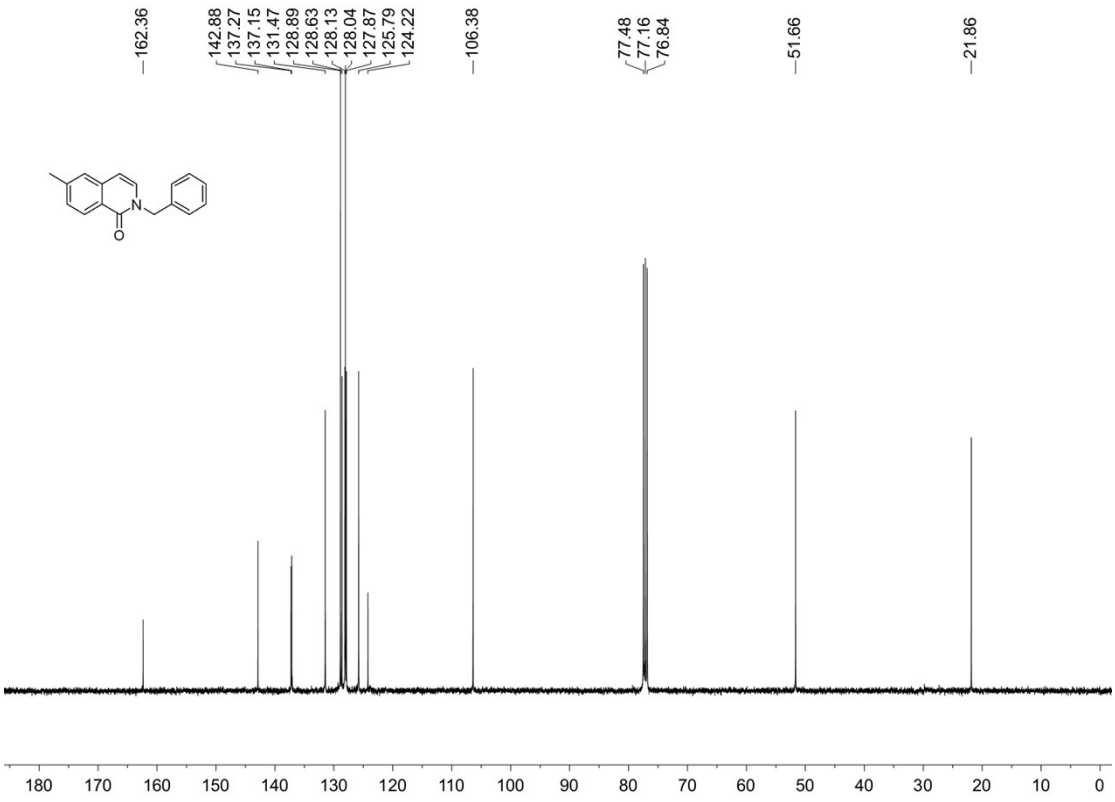
2-Benzyl-5-nitroisoquinolin-1(2*H*)-one (**2f**)



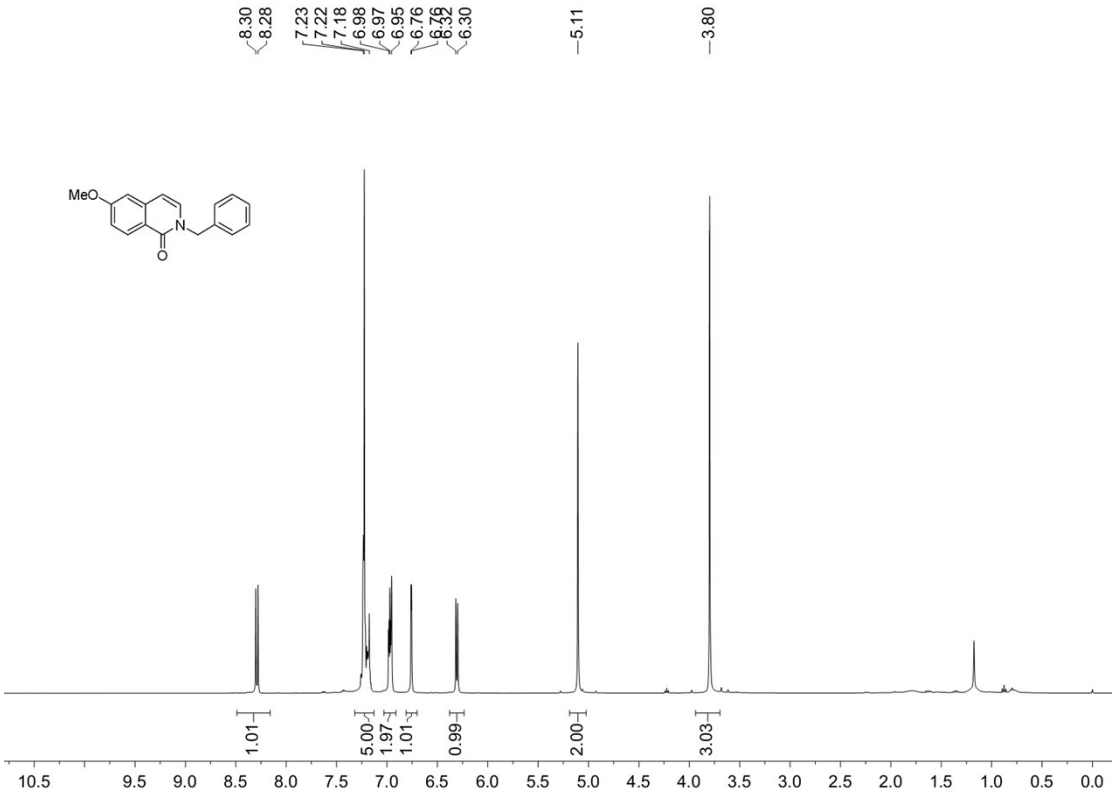


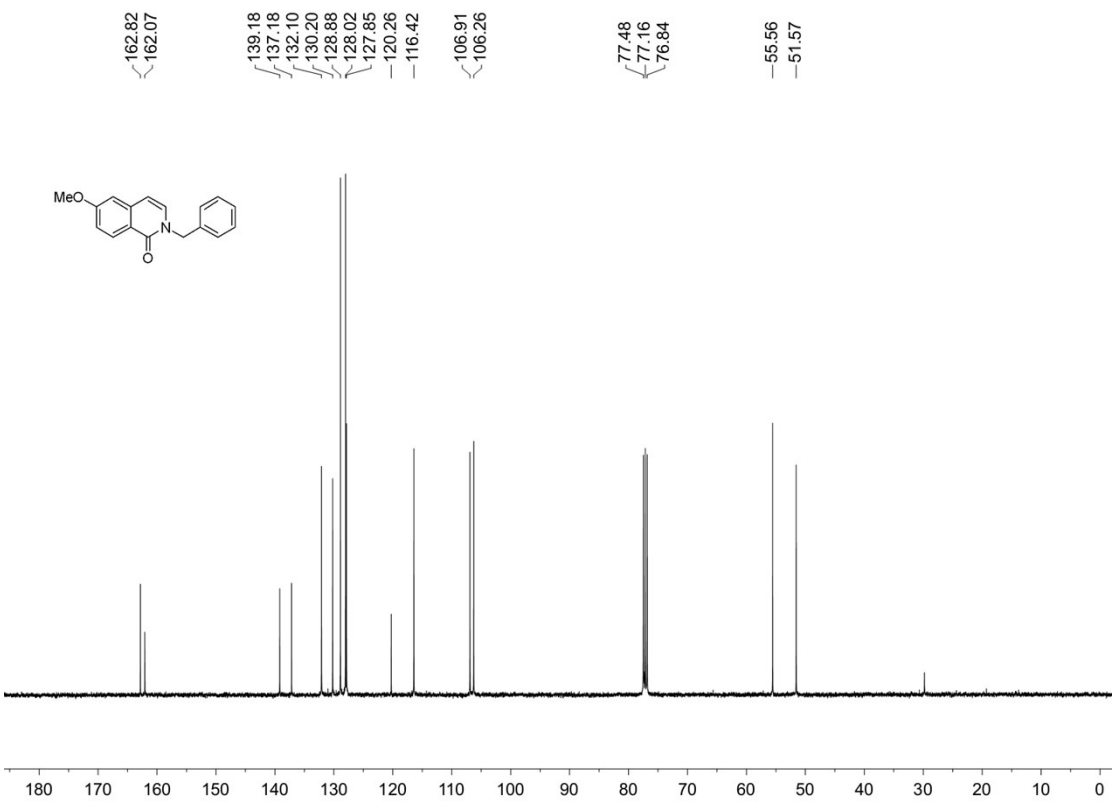
2-Benzyl-6-methylisoquinolin-1(2*H*)-one (**2g**)



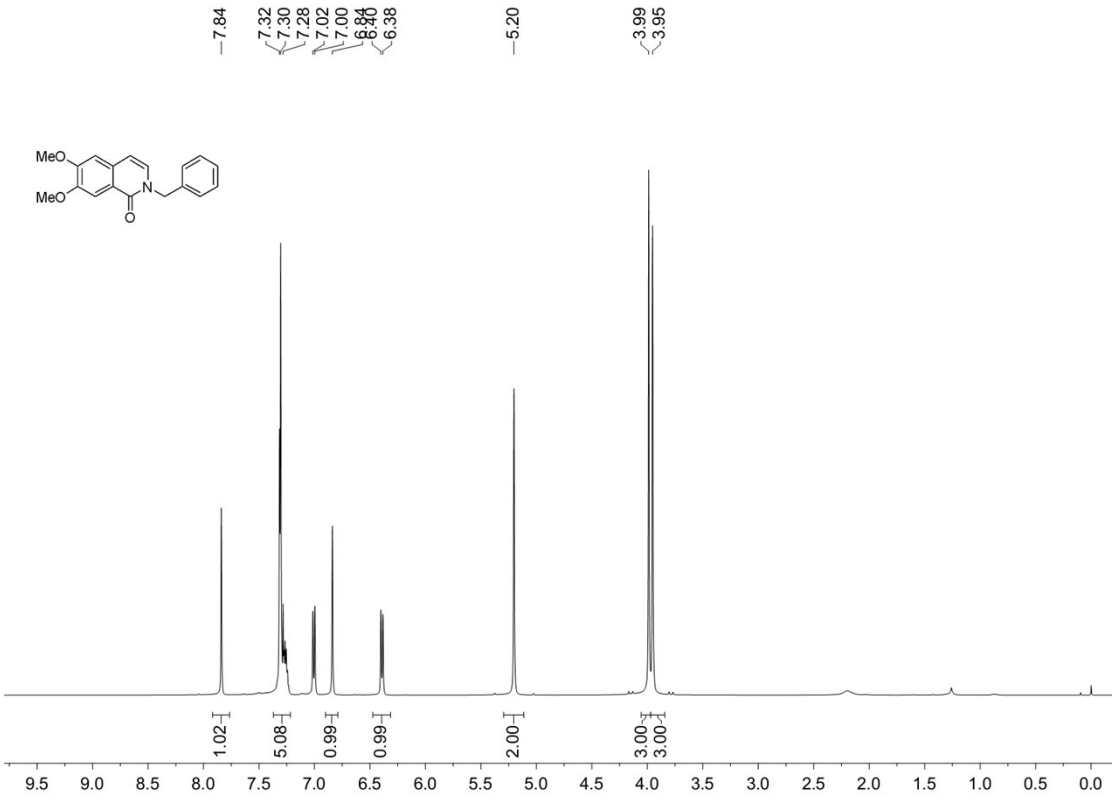


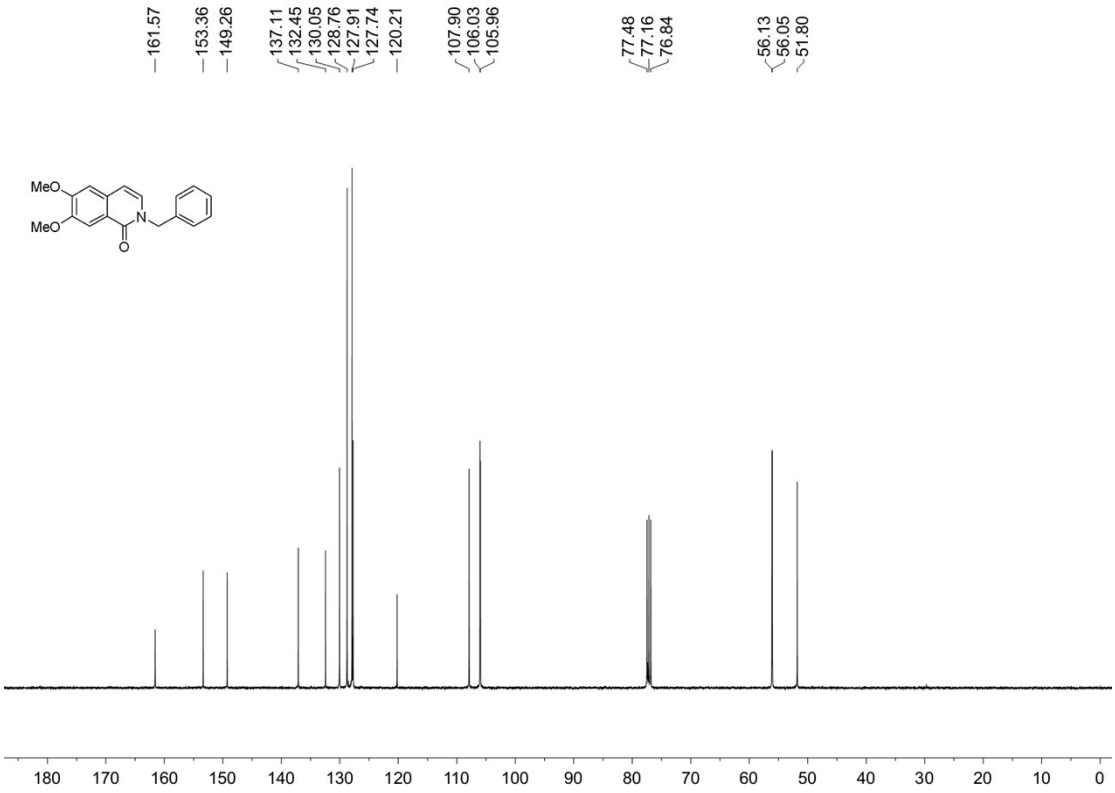
2-Benzyl-6-methoxyisoquinolin-1(2*H*)-one (**2h**)



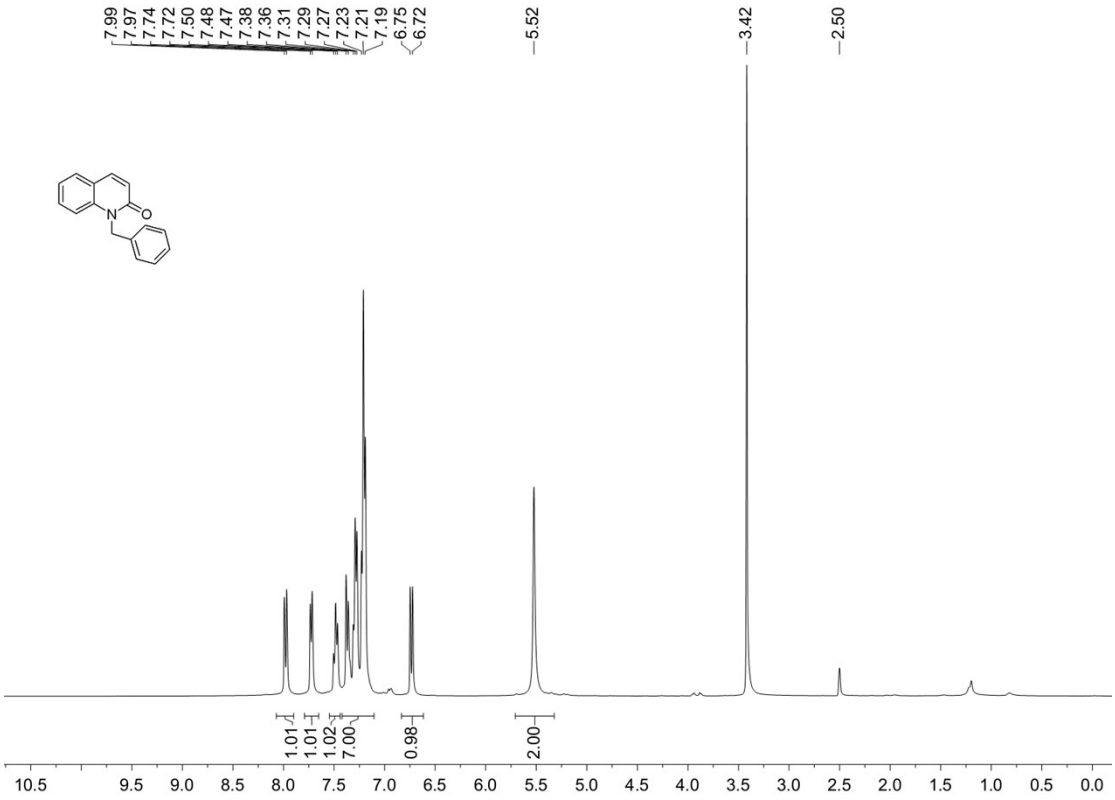


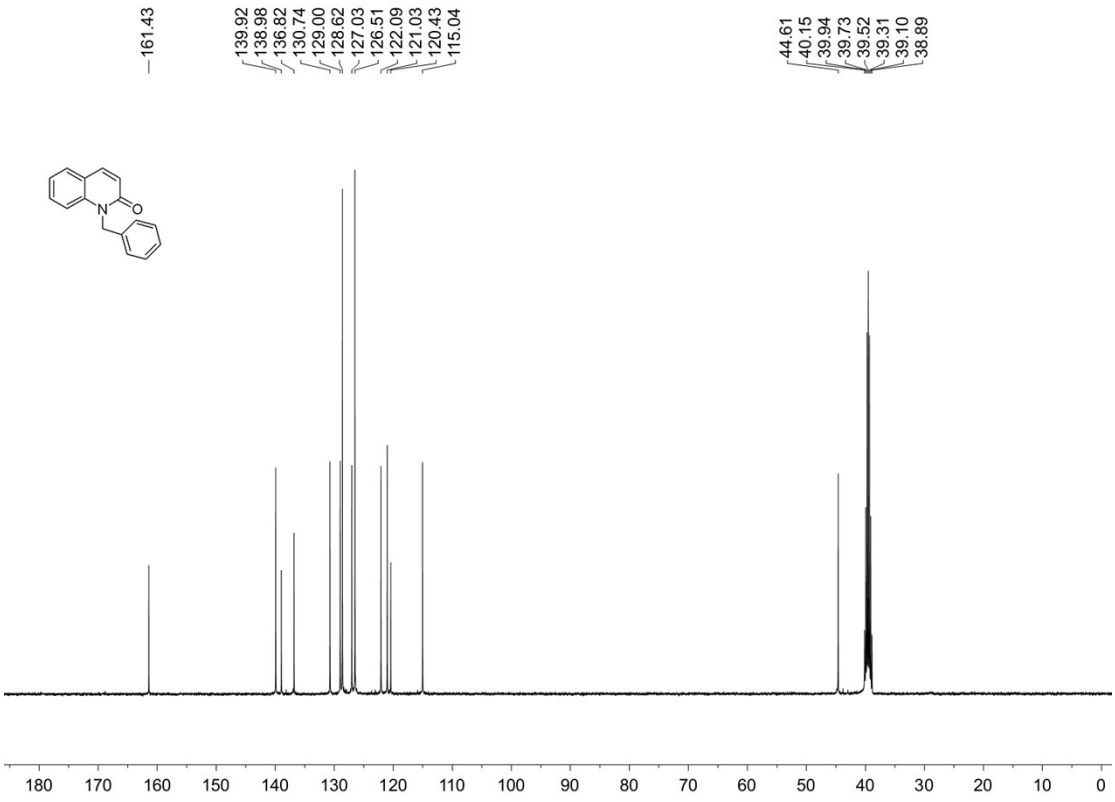
2-Benzyl-6,7-dimethoxyisoquinolin-1(2*H*)-one (**2i**)



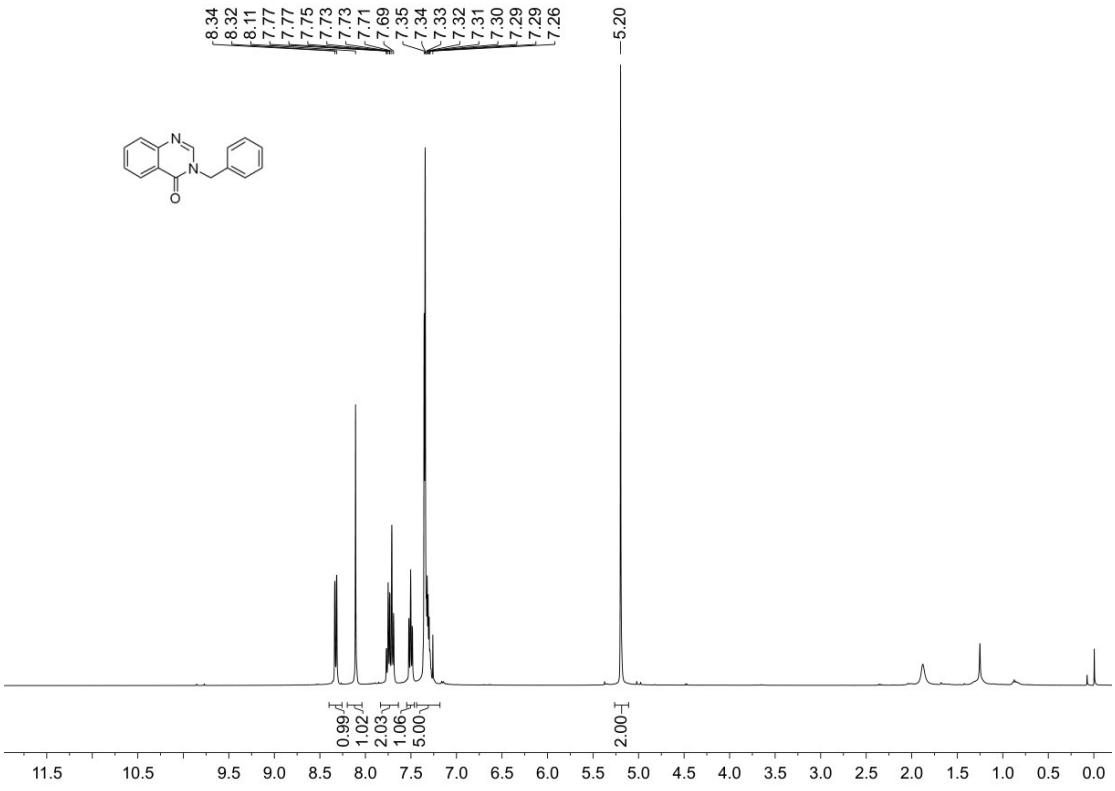


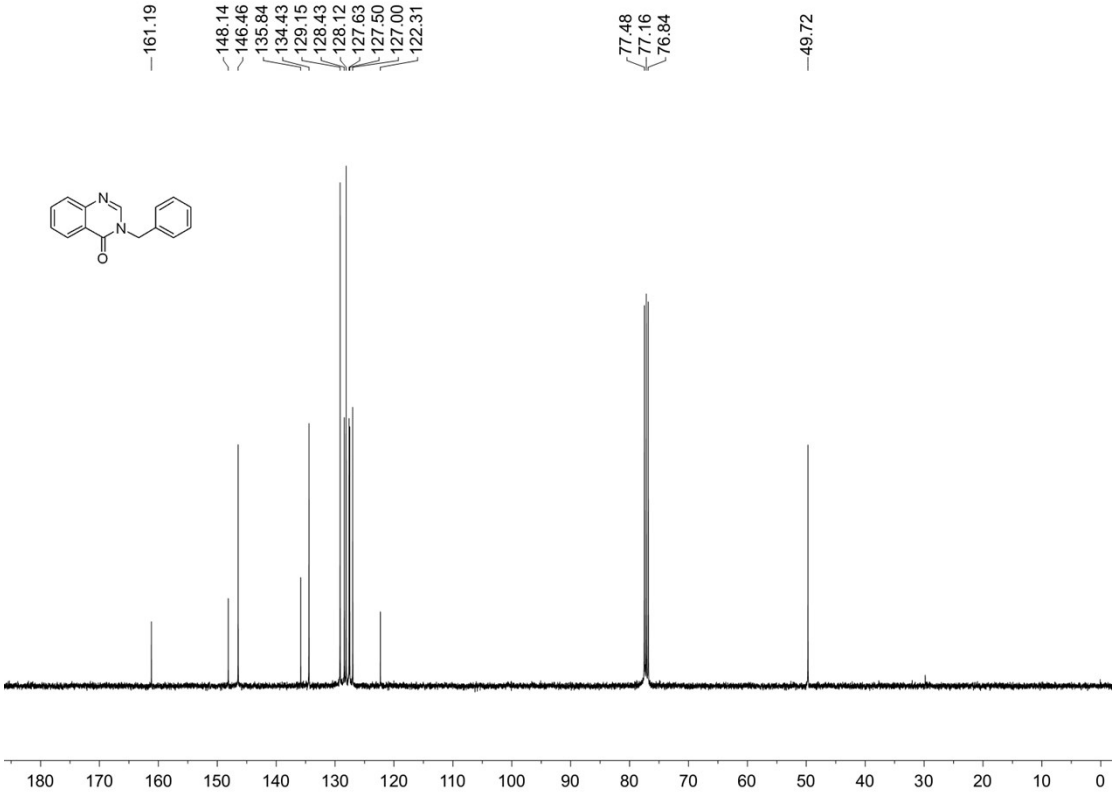
1-Benzylquinolin-2(1*H*)-one (**2j**)



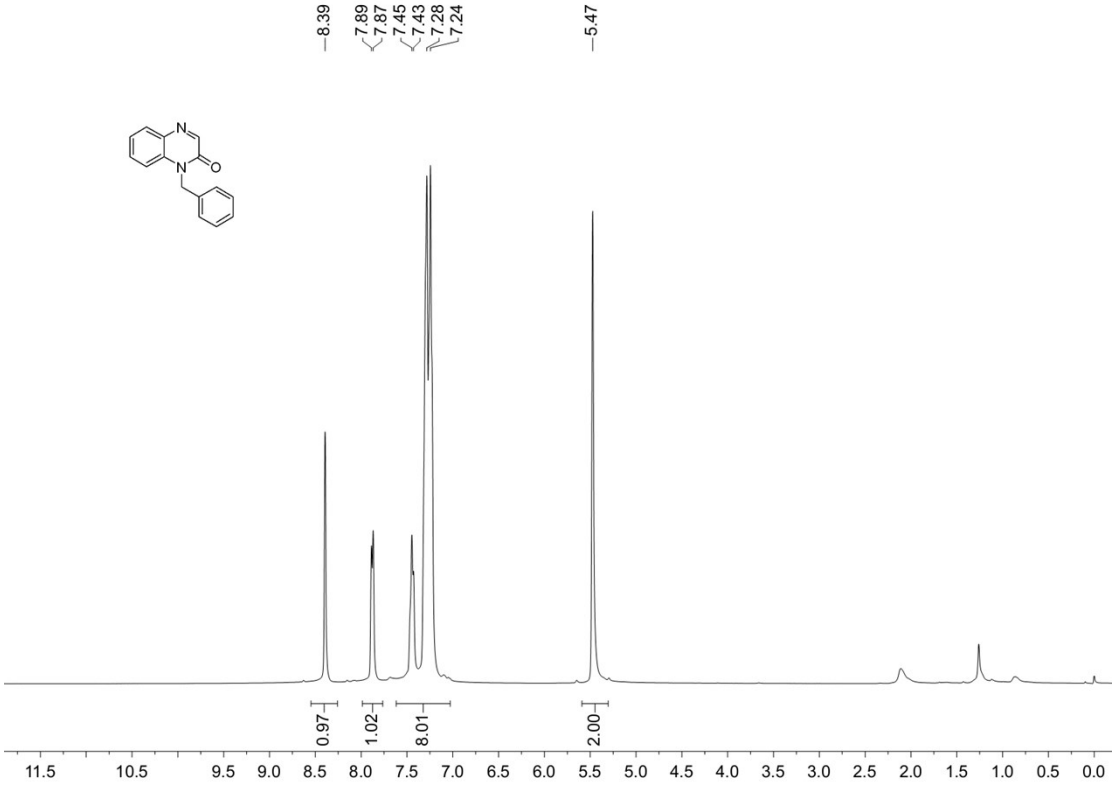


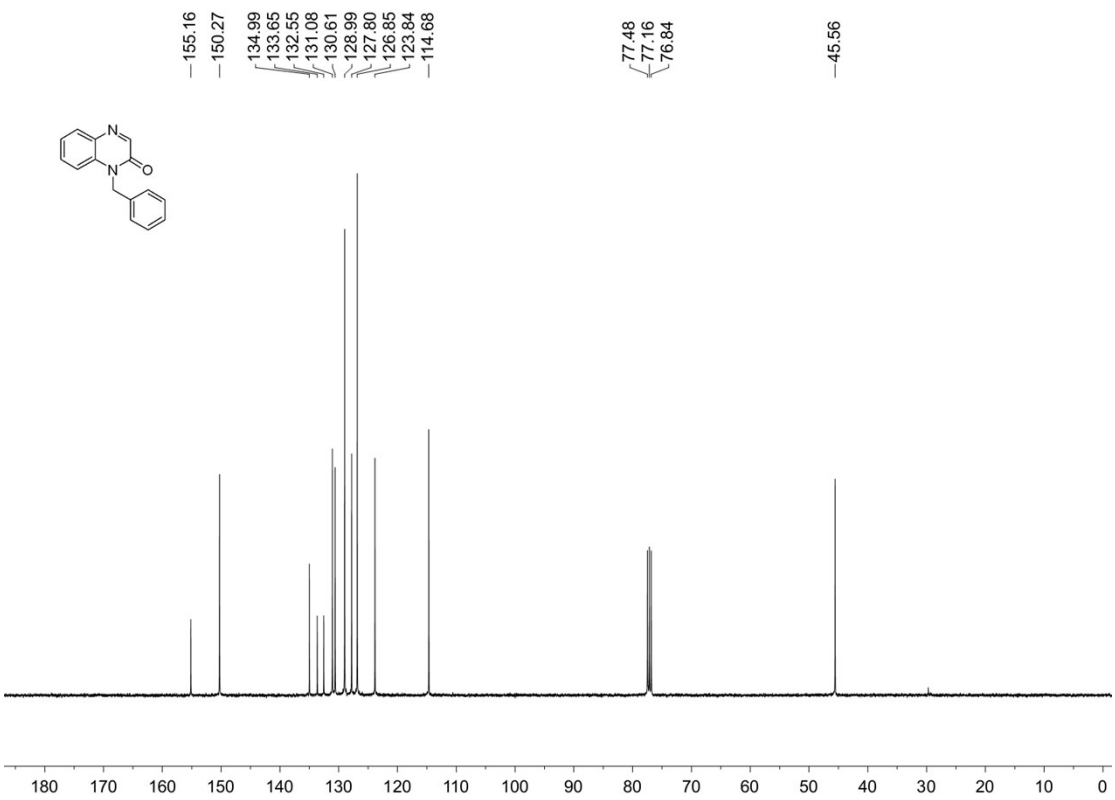
3-Benzylquinazolin-4(3*H*)-one (**2k**)



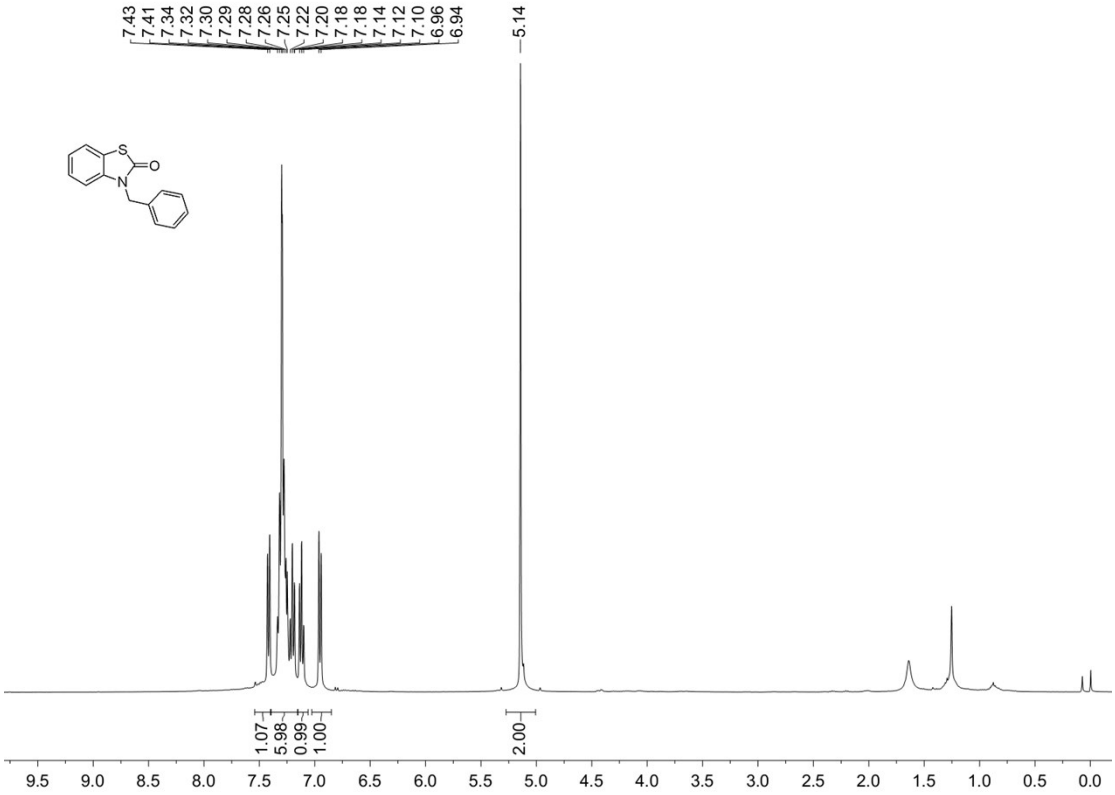


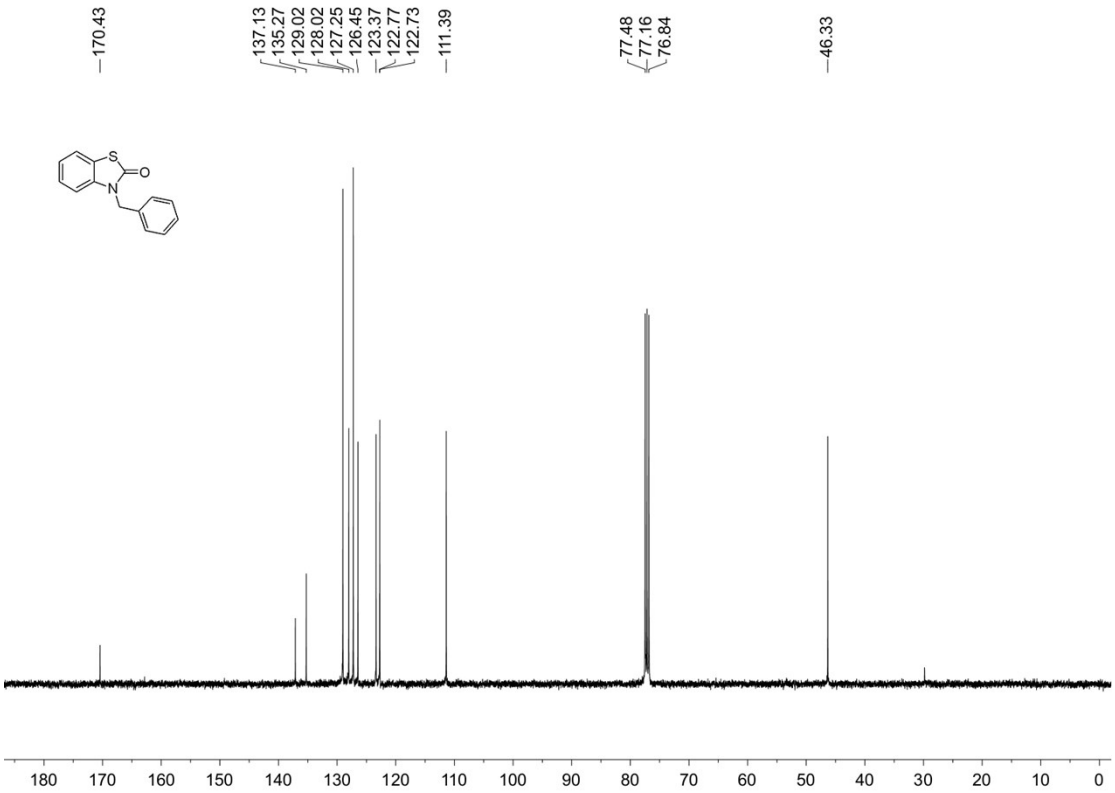
1-Benzylquinoxalin-2(1*H*)-one (**2l**)



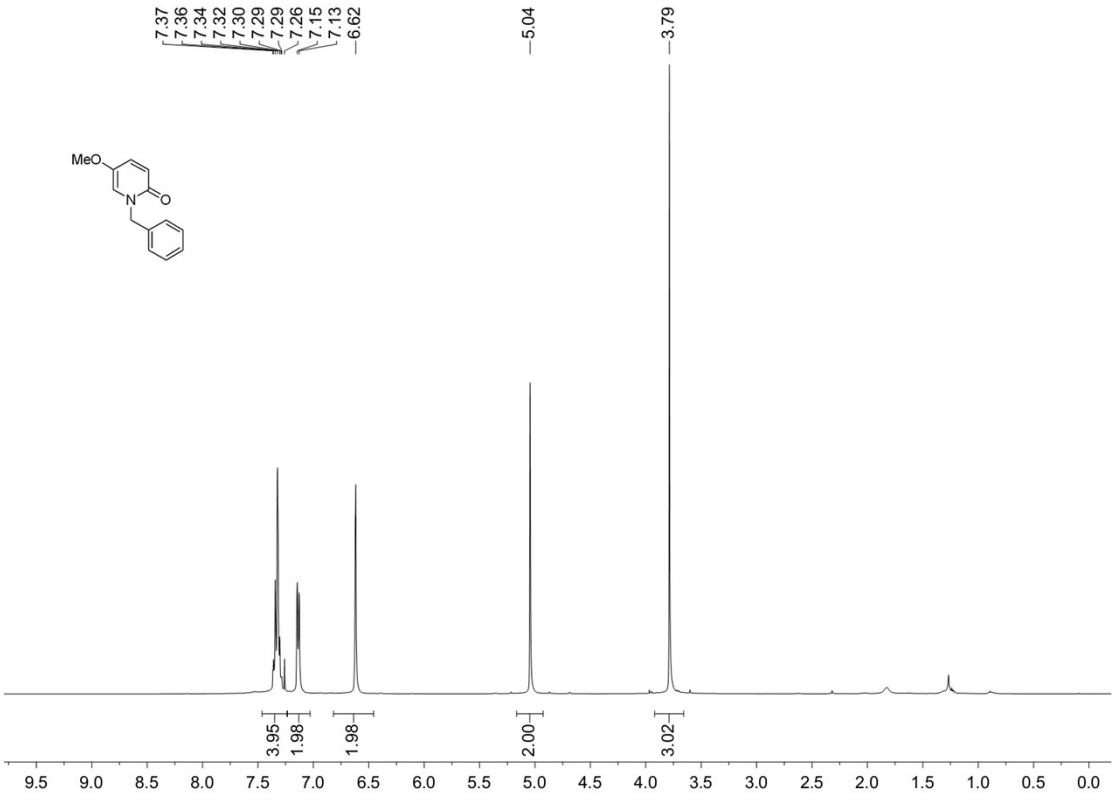


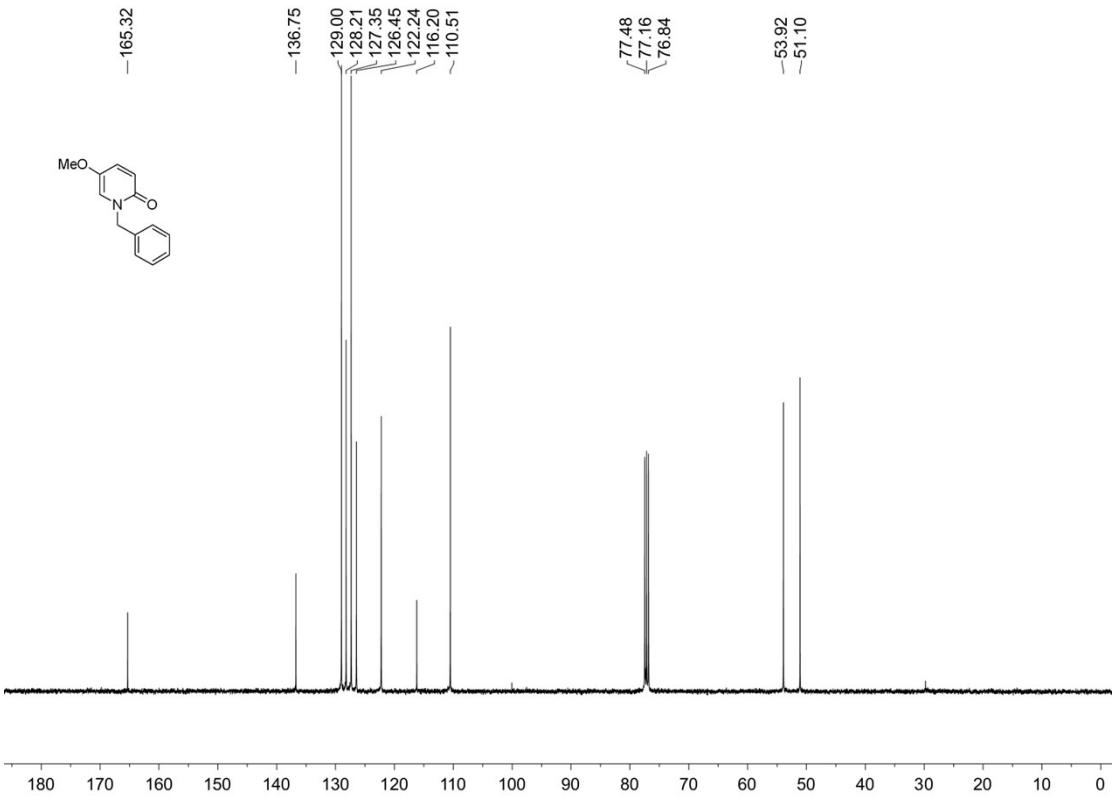
3-Benzylbenzo[d]thiazol-2(3*H*)-one (**2m**)



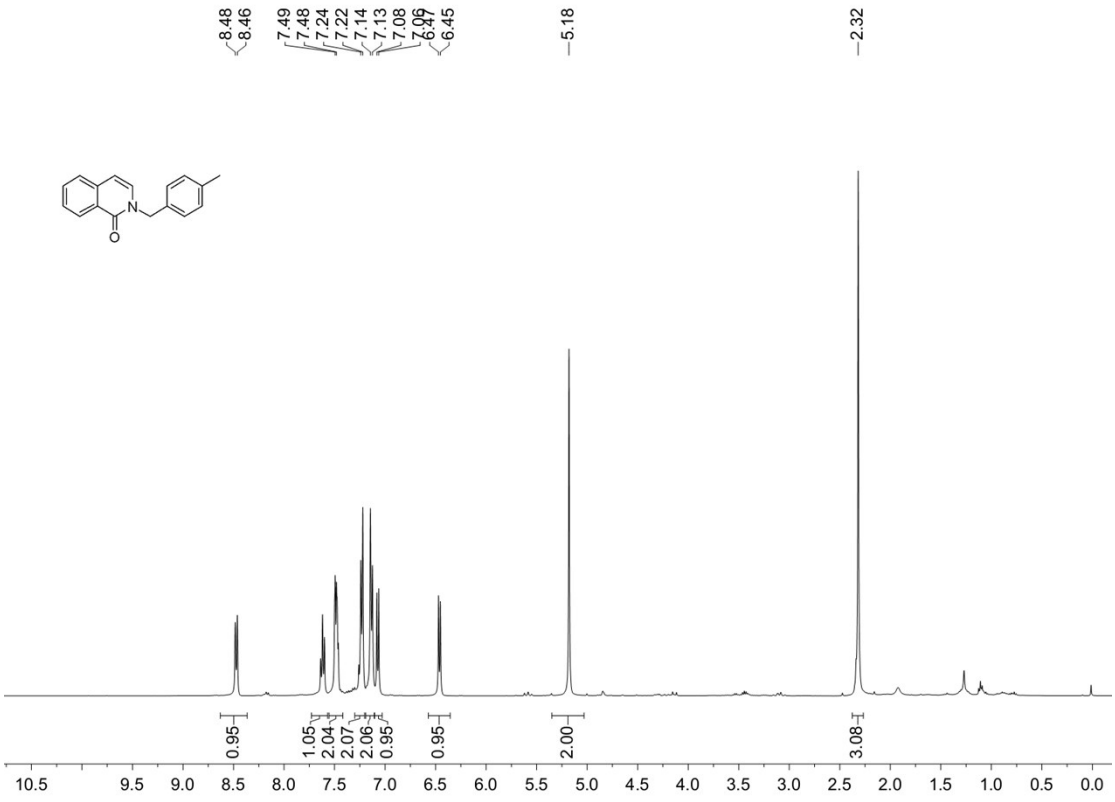


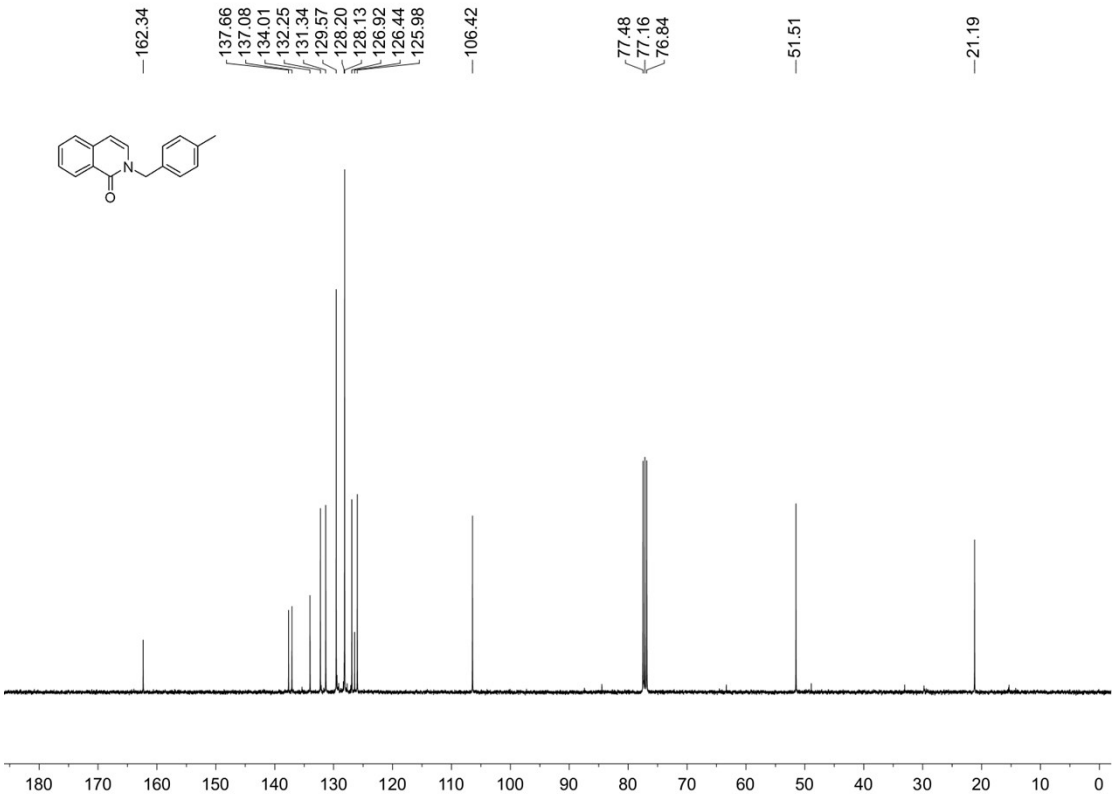
1-Benzyl-5-methoxypyridin-2(1*H*)-one (**2n**)



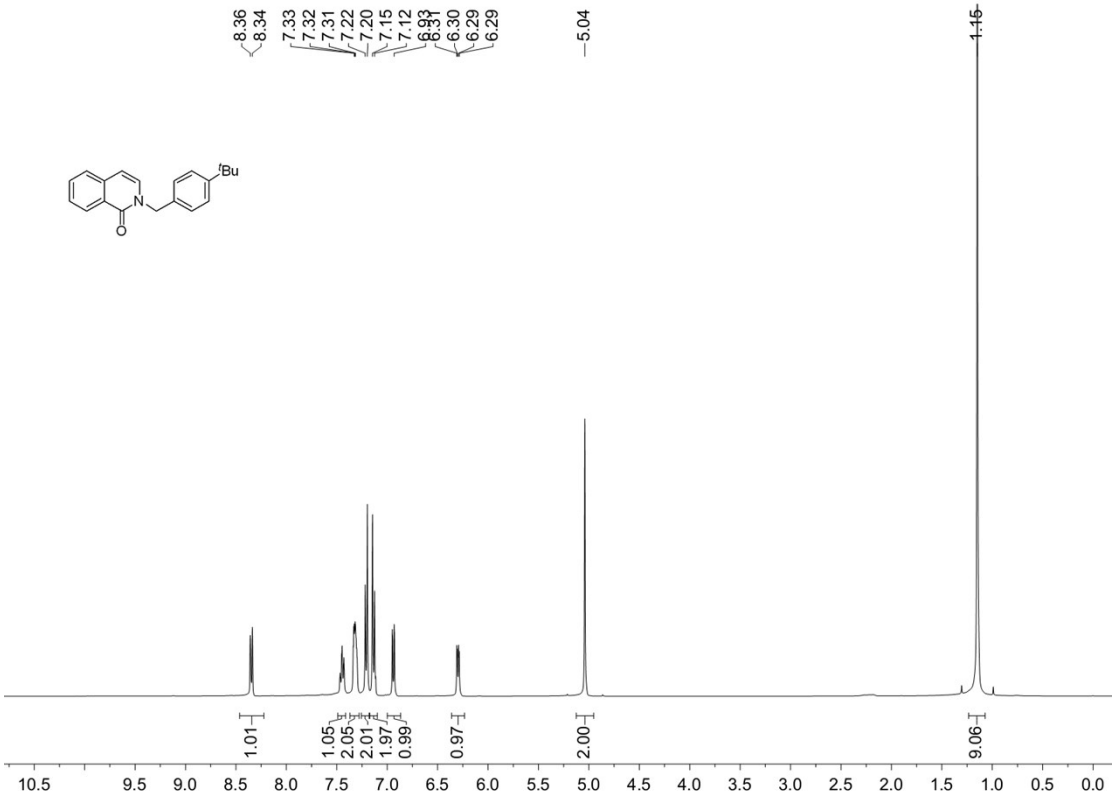


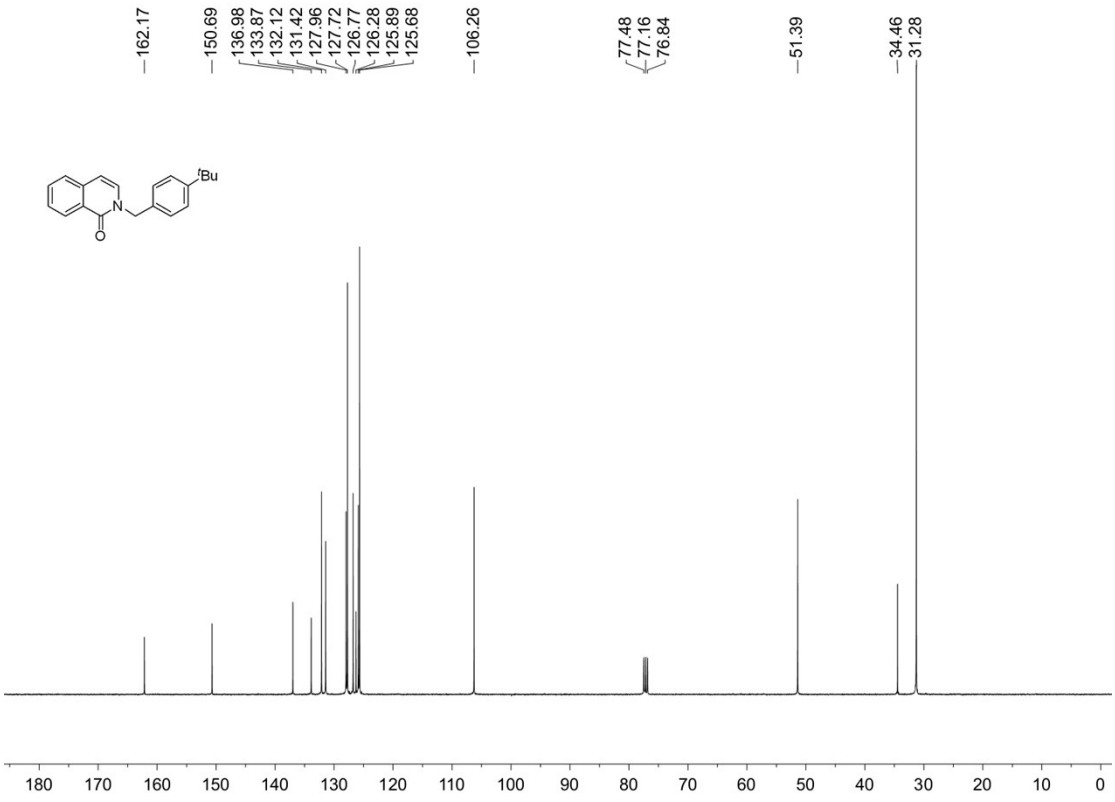
2-(4-Methylbenzyl)isoquinolin-1(2*H*)-one (**2o**)



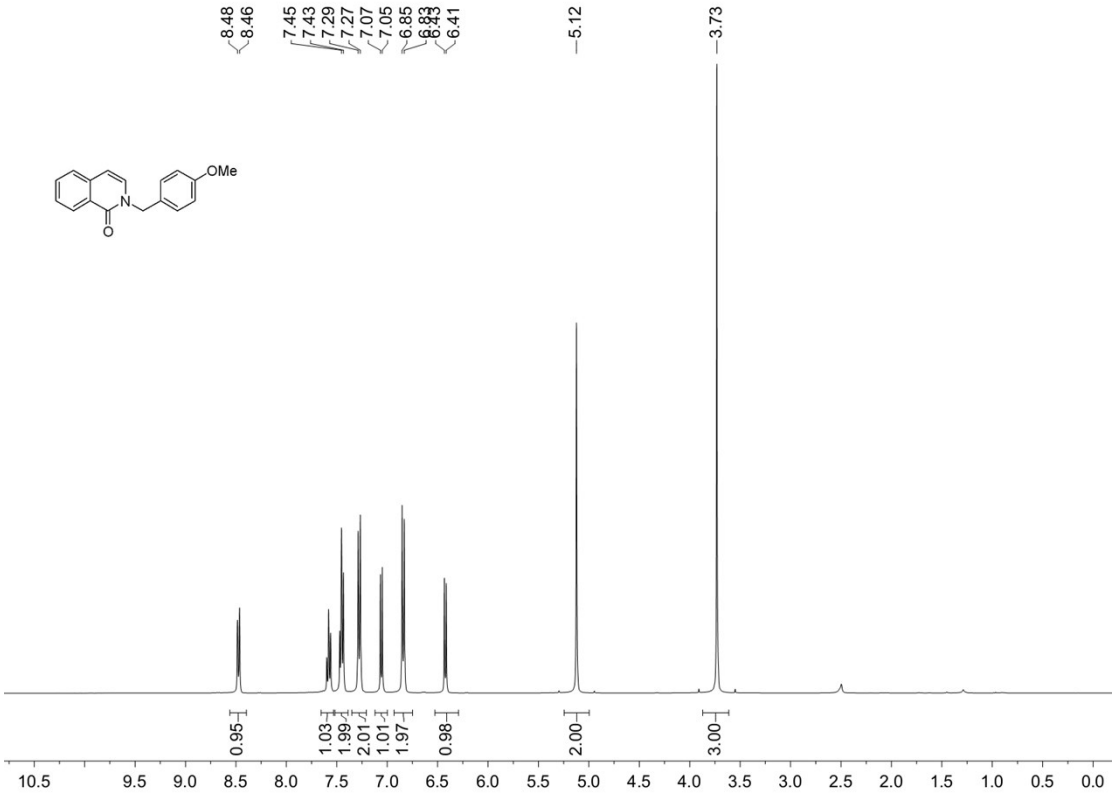


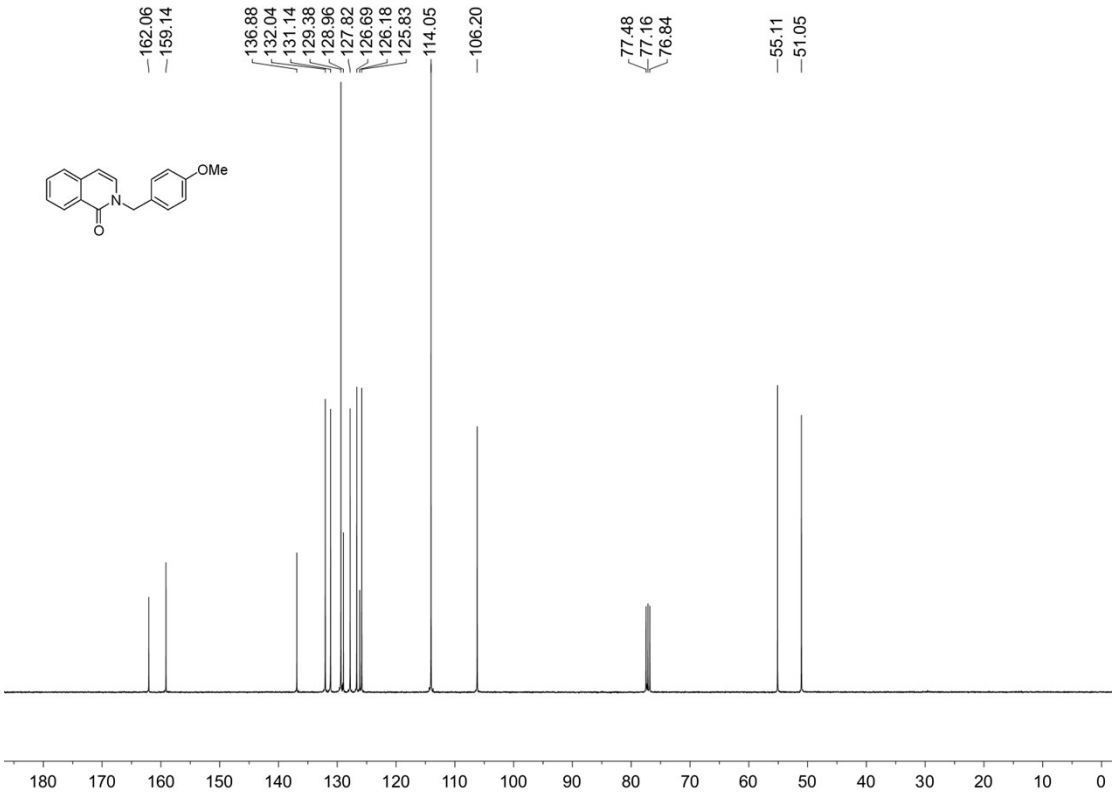
2-(4-(*Tert*-butyl)benzyl)isoquinolin-1(2*H*)-one (**2p**)



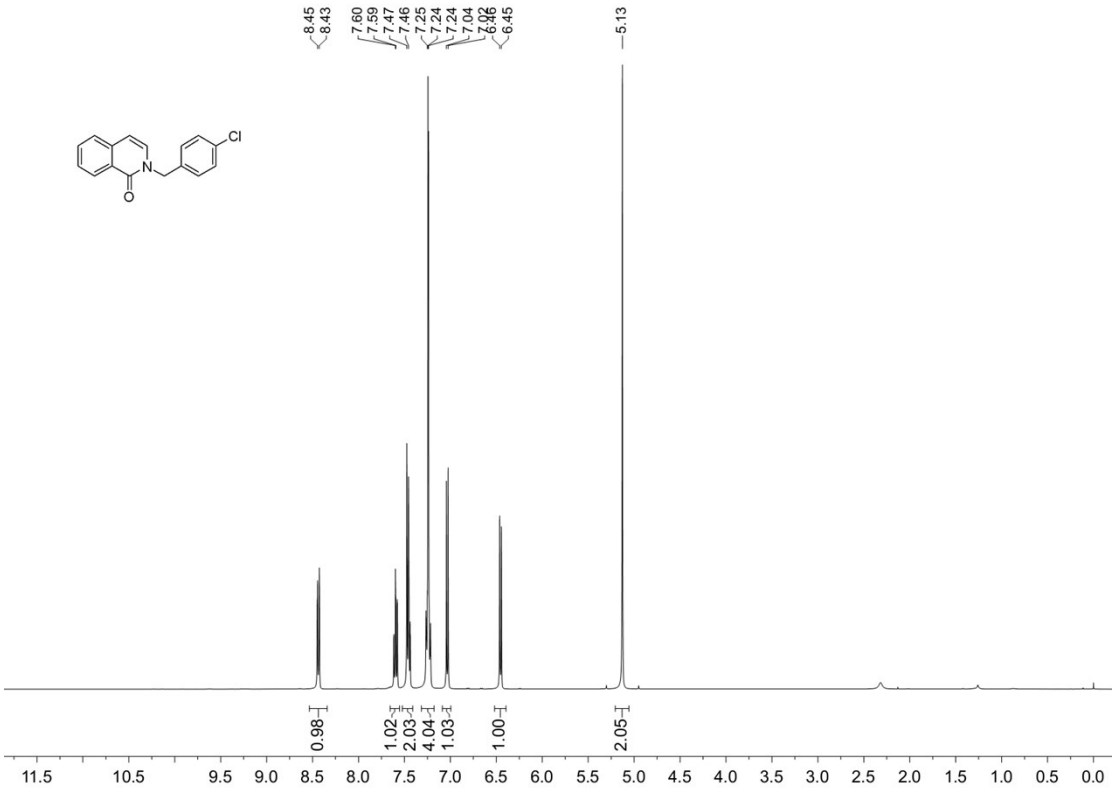


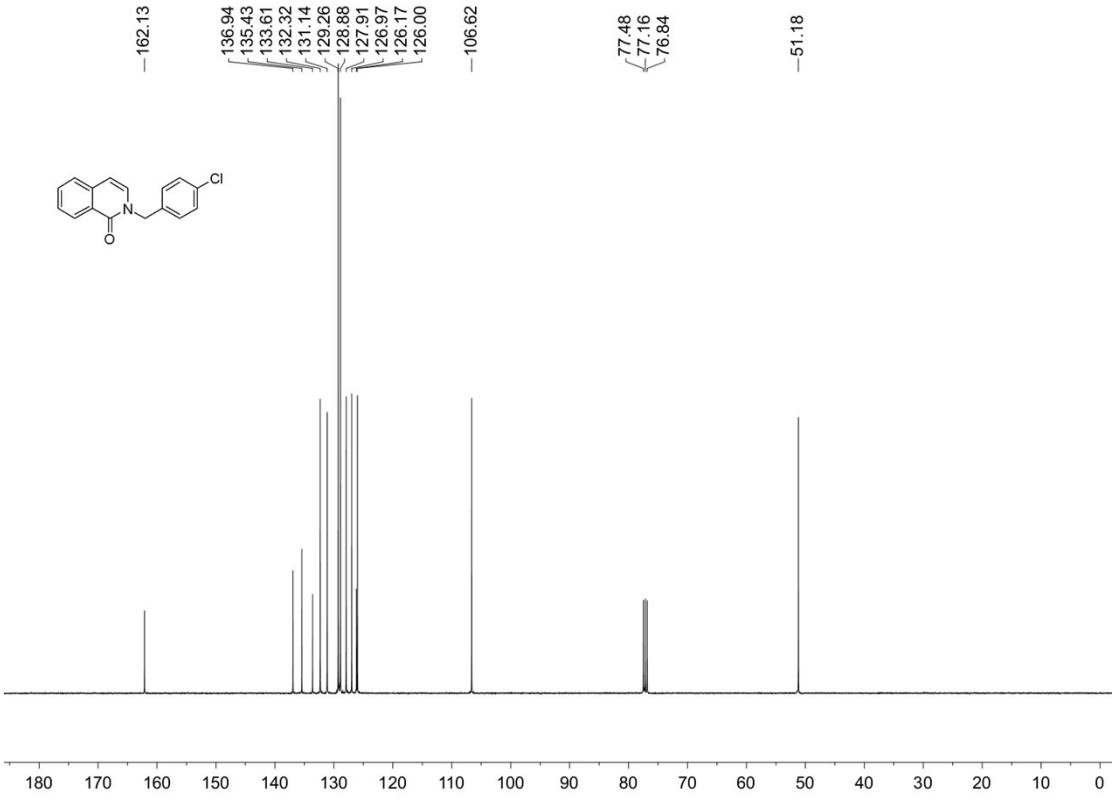
2-(4-Methoxybenzyl)isoquinolin-1(2*H*)-one (**2q**)



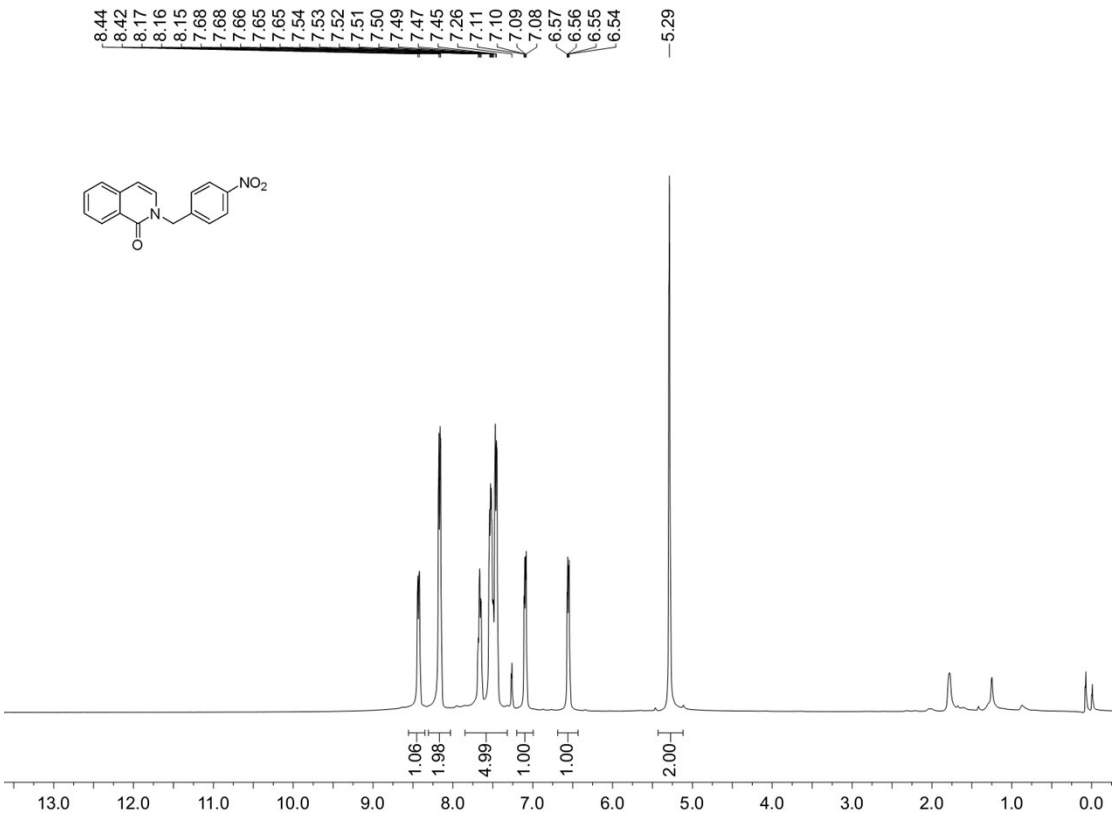


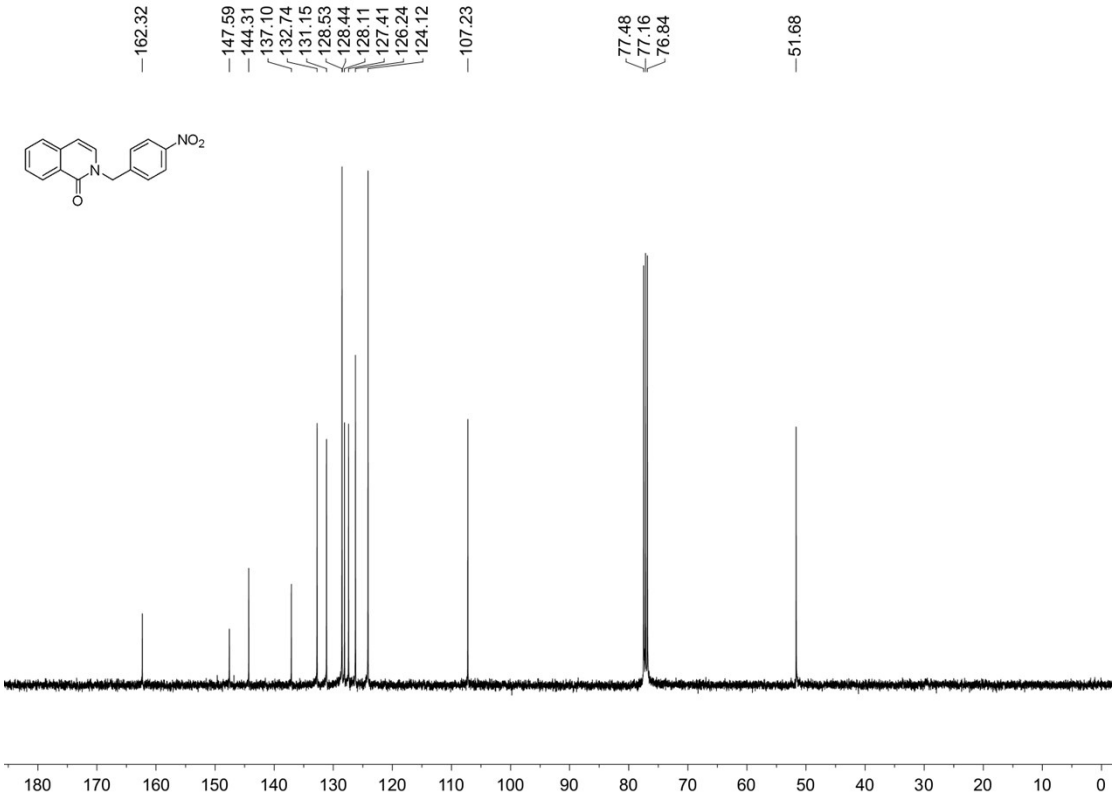
2-(4-Chlorobenzyl)isoquinolin-1(2*H*)-one (**2r**)



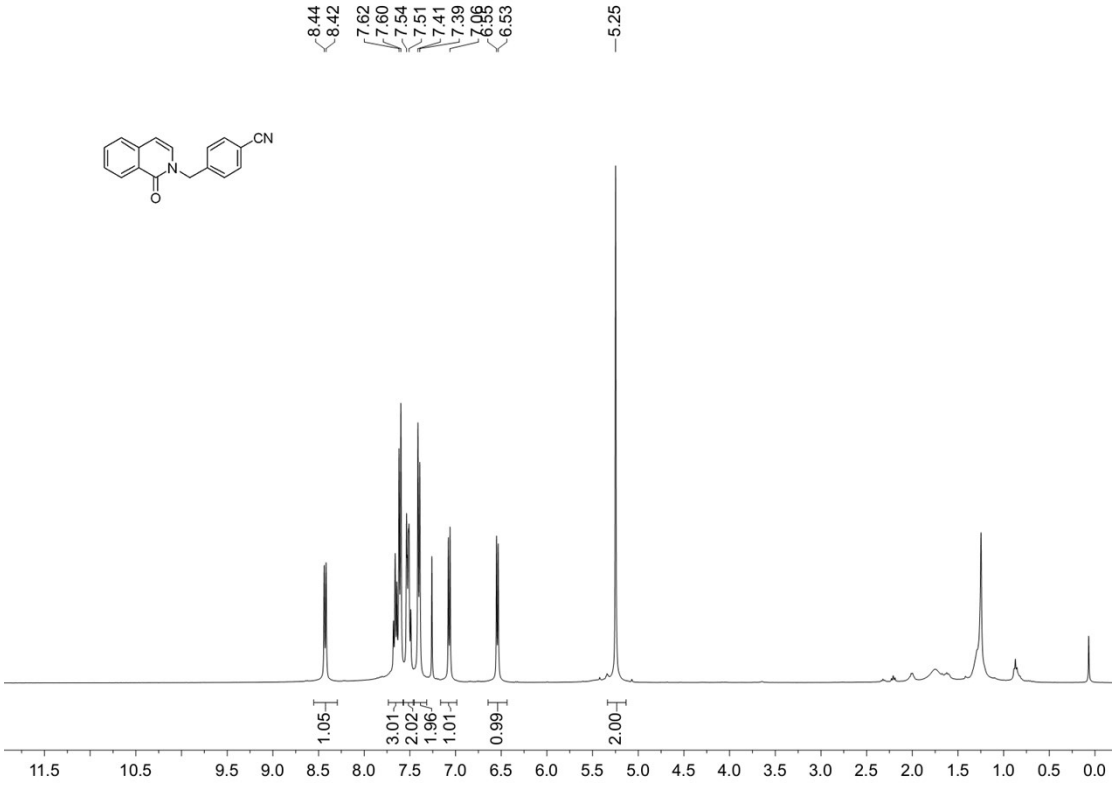


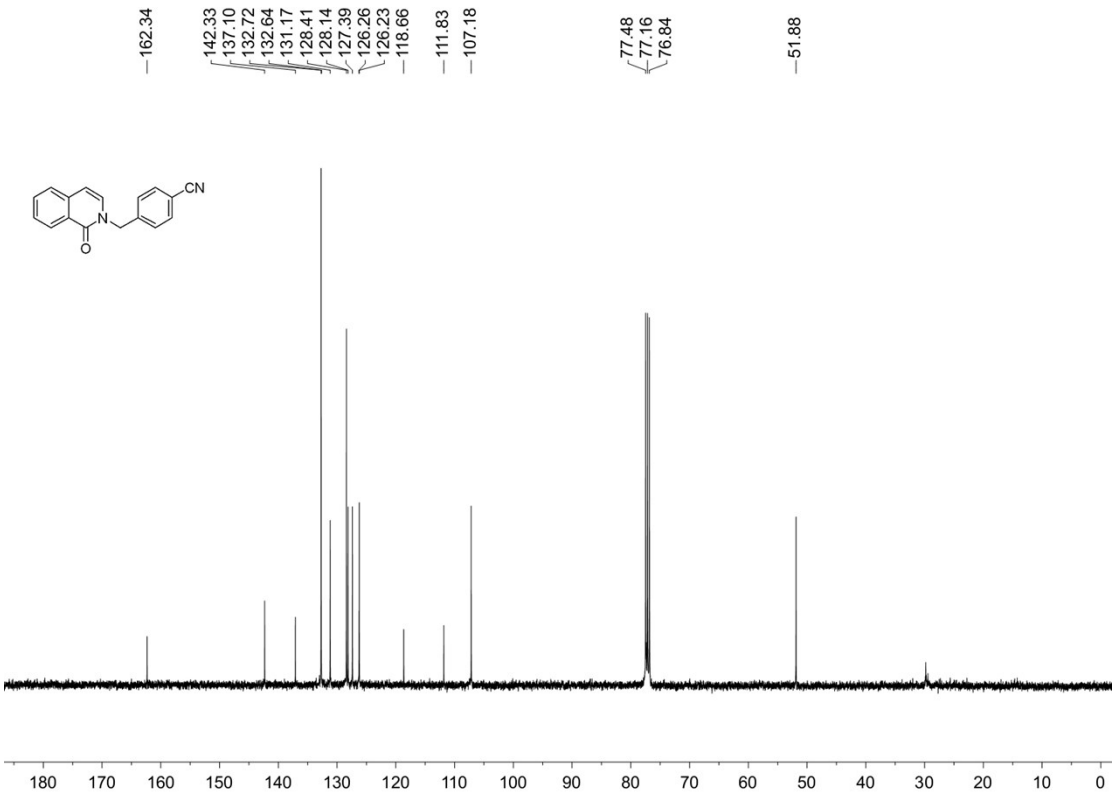
2-(4-Nitrobenzyl)isoquinolin-1(2*H*)-one (**2s**)



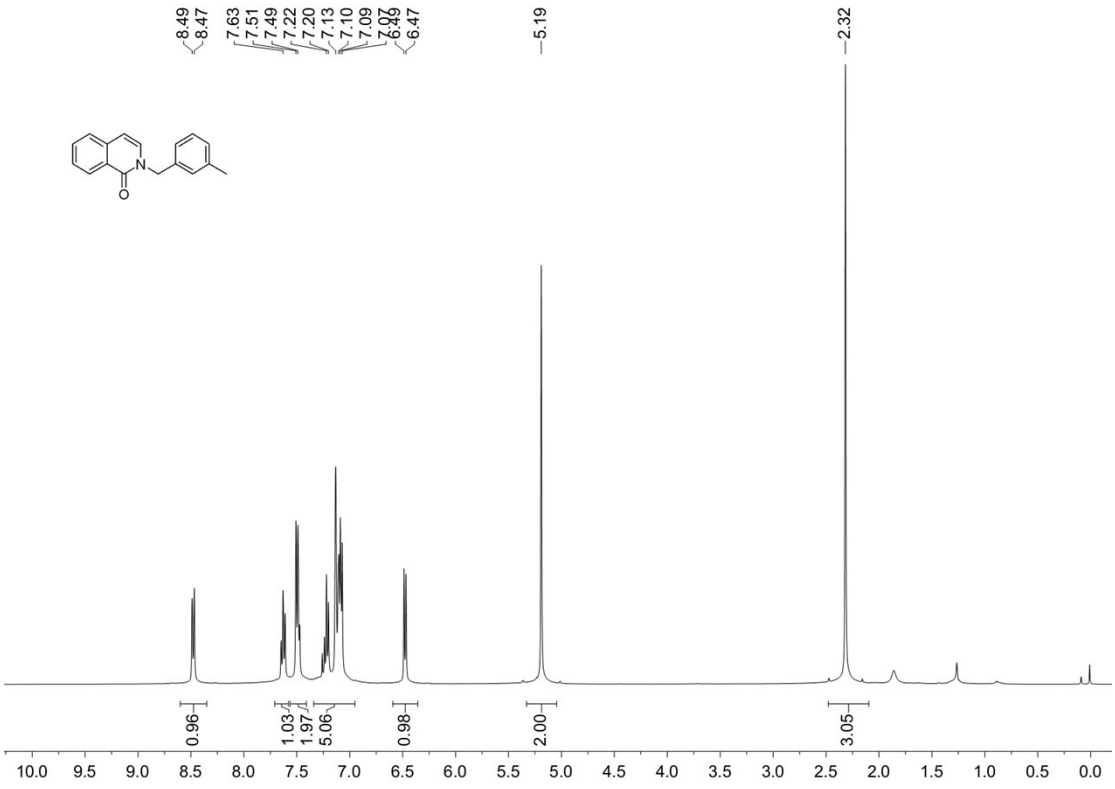


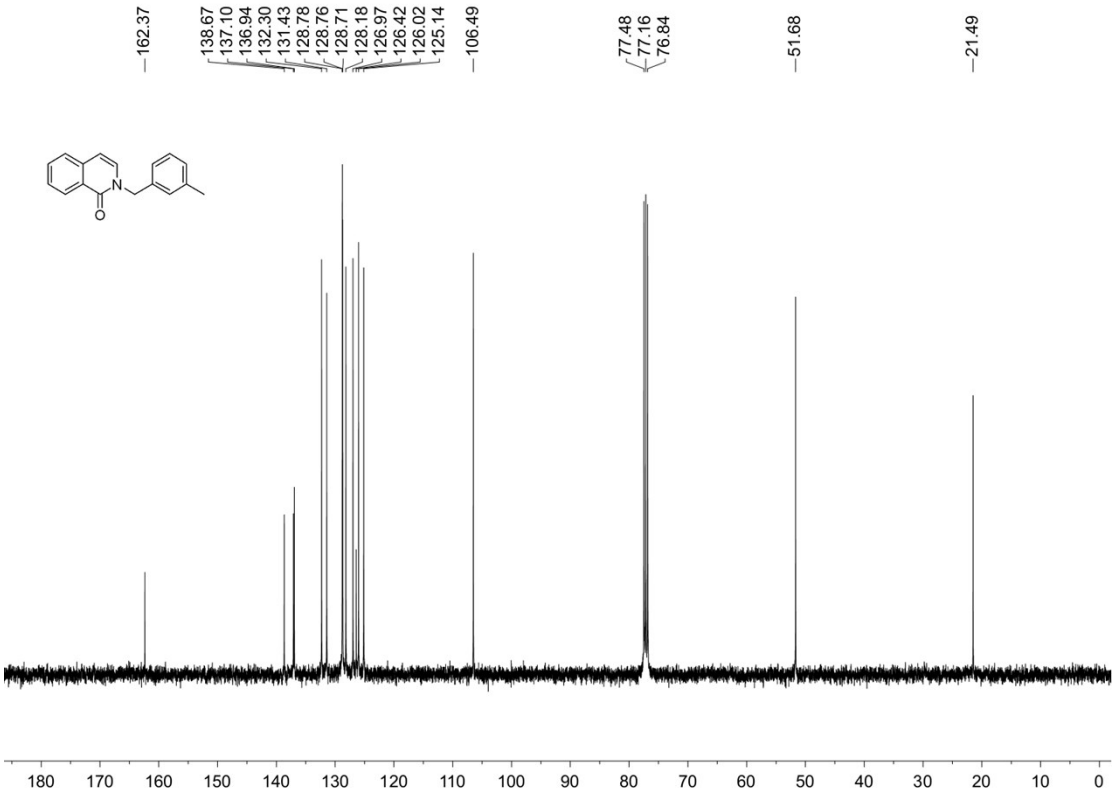
4-((1-Oxoisoquinolin-2(1*H*)-yl)methyl)benzonitrile (**2t**)



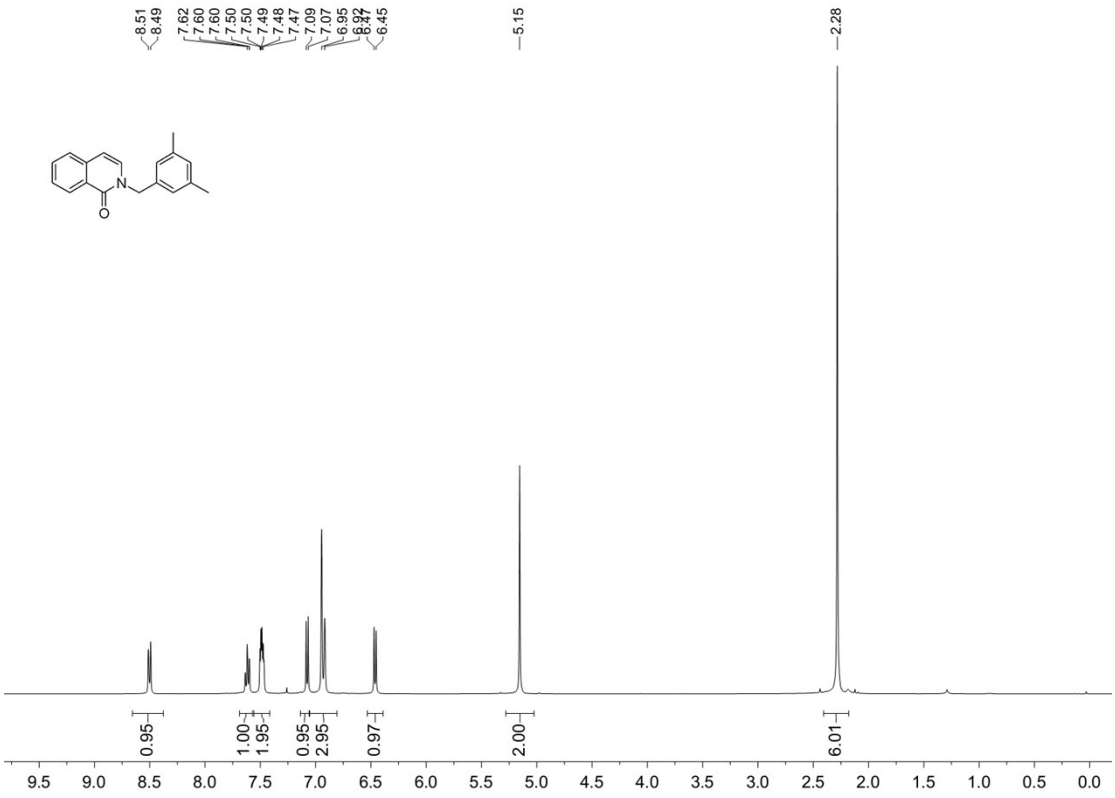


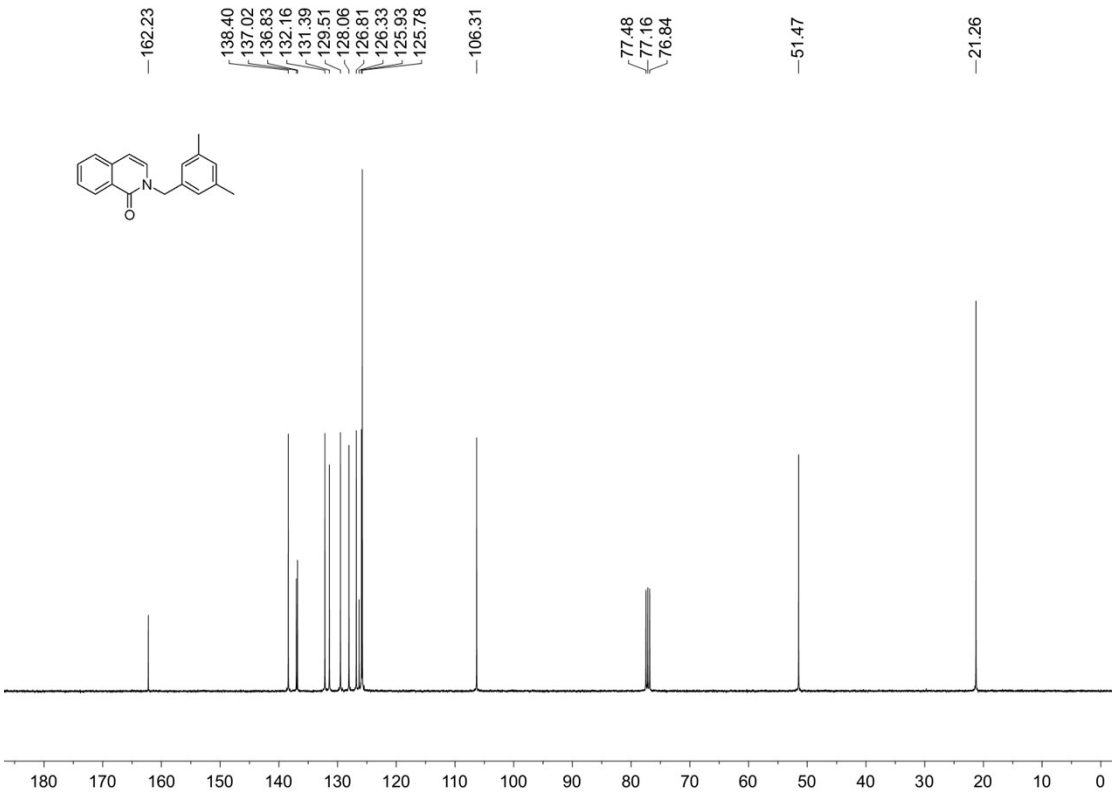
2-(3-Methylbenzyl)isoquinolin-1(2*H*)-one (**2u**)



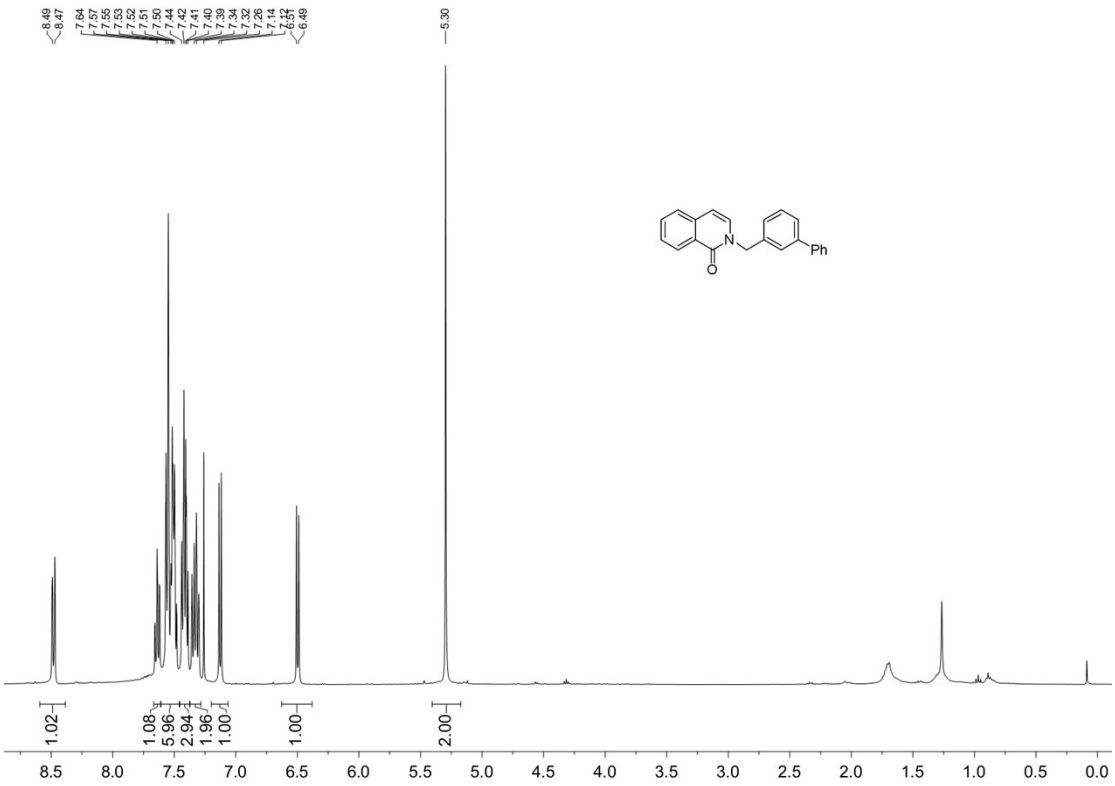


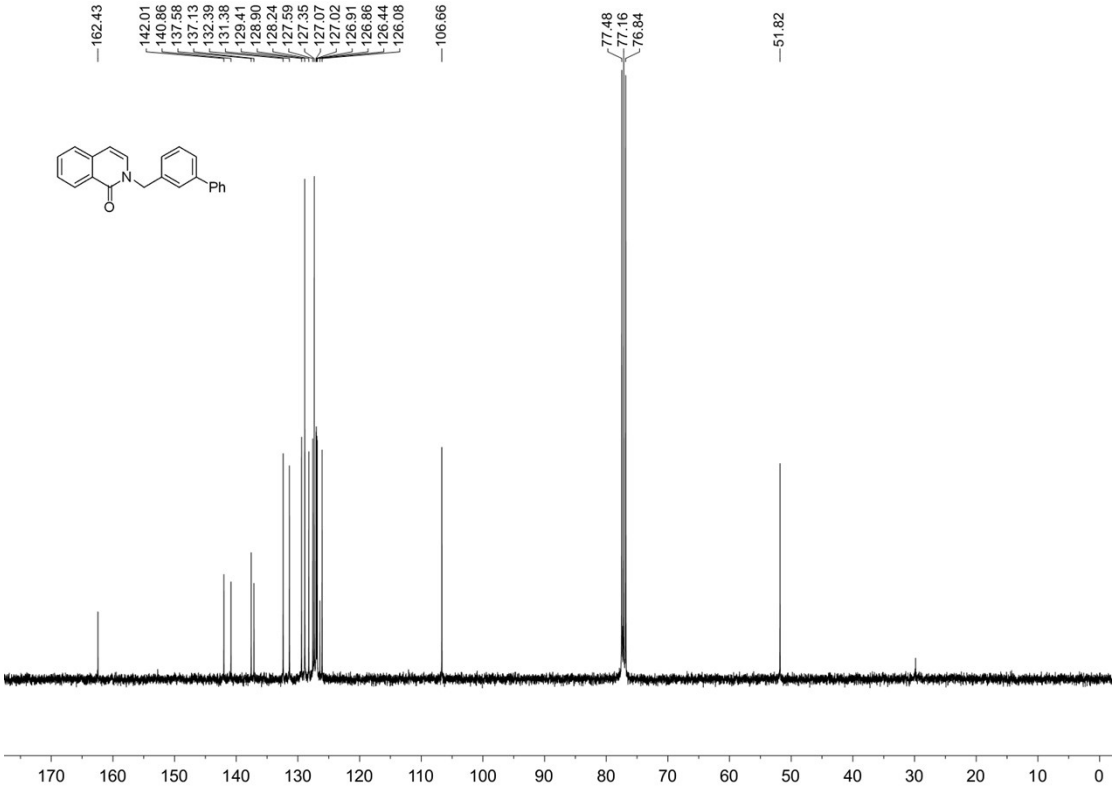
2-(3,5-Dimethylbenzyl)isoquinolin-1(2*H*)-one (**2v**)



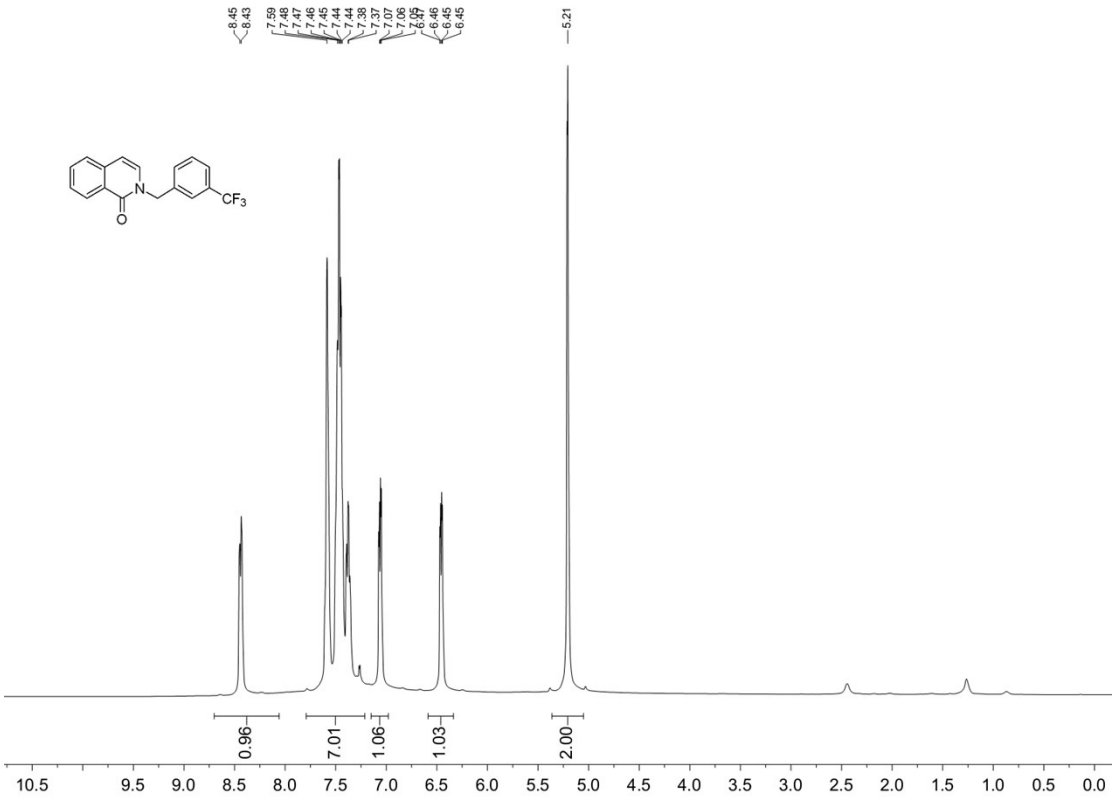


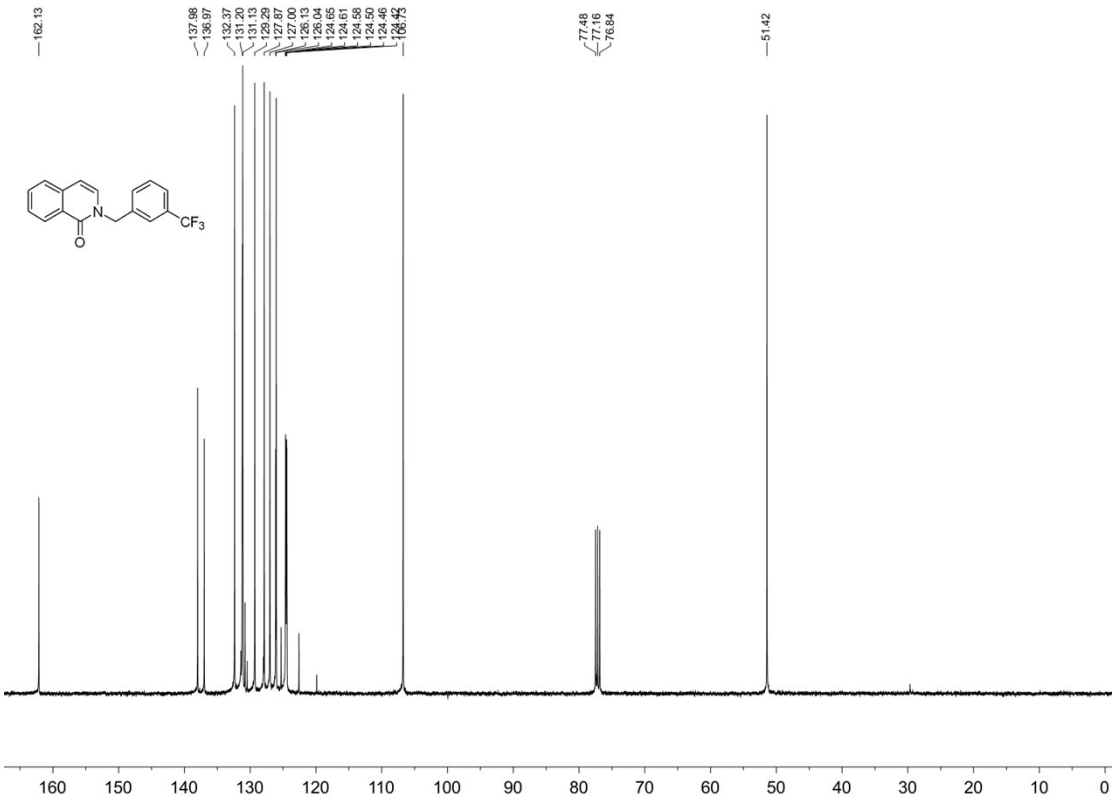
2-([1,1'-Biphenyl]-3-ylmethyl)isoquinolin-1(2*H*)-one (**2w**)



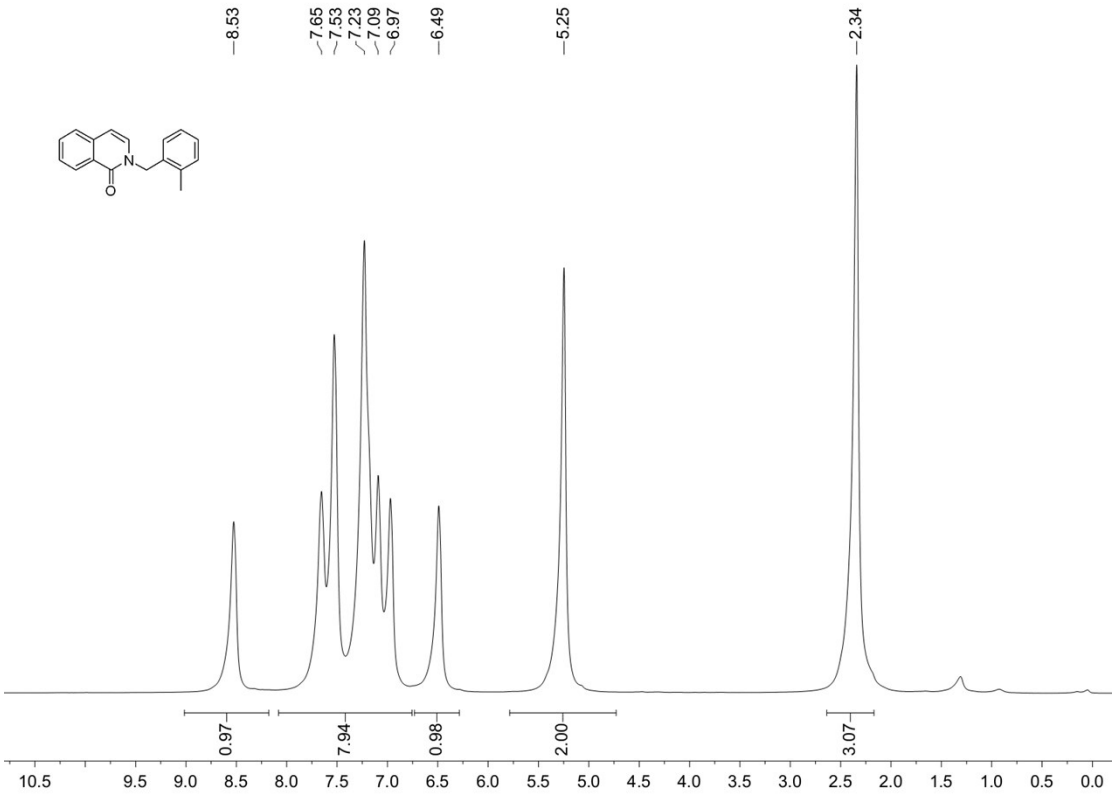


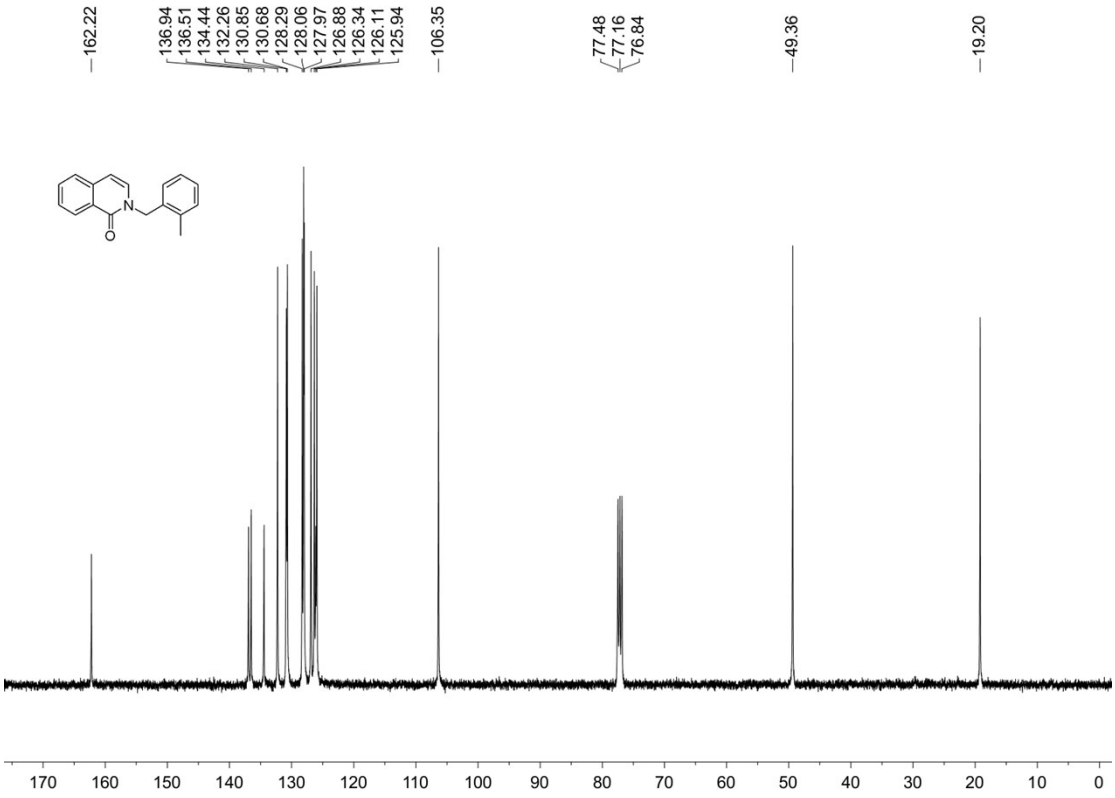
2-(3-(Trifluoromethyl)benzyl)isoquinolin-1(2*H*)-one (**2x**)



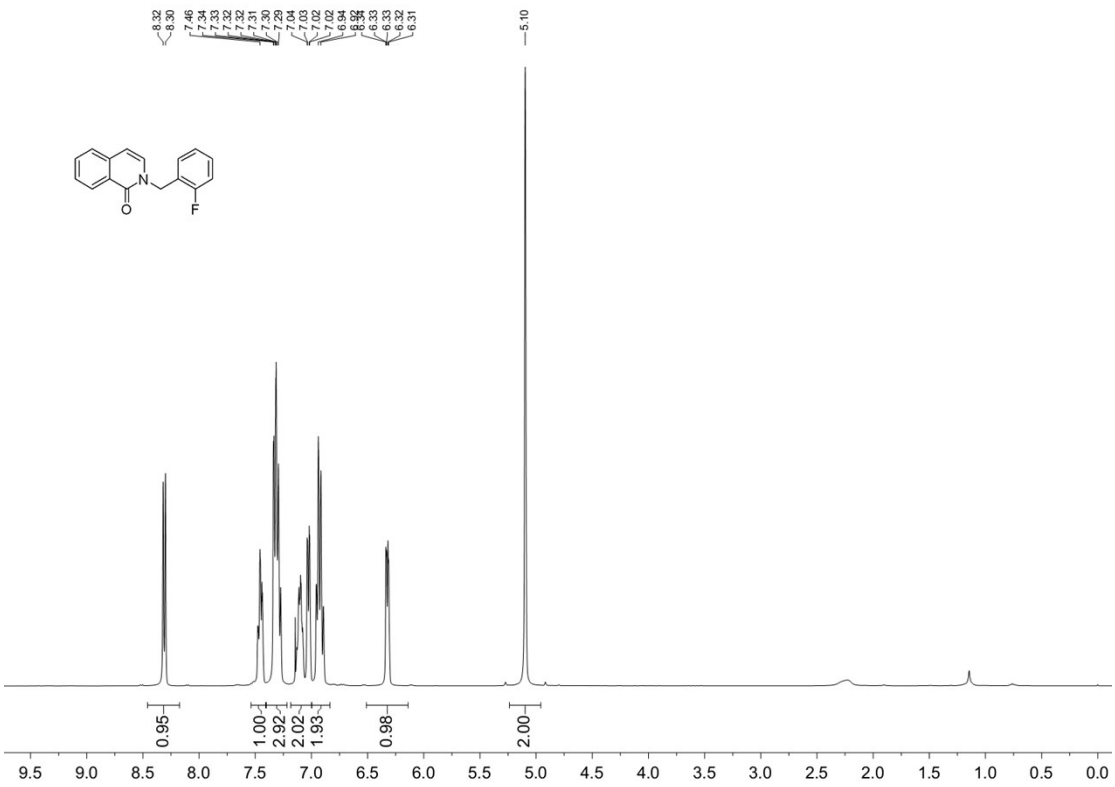


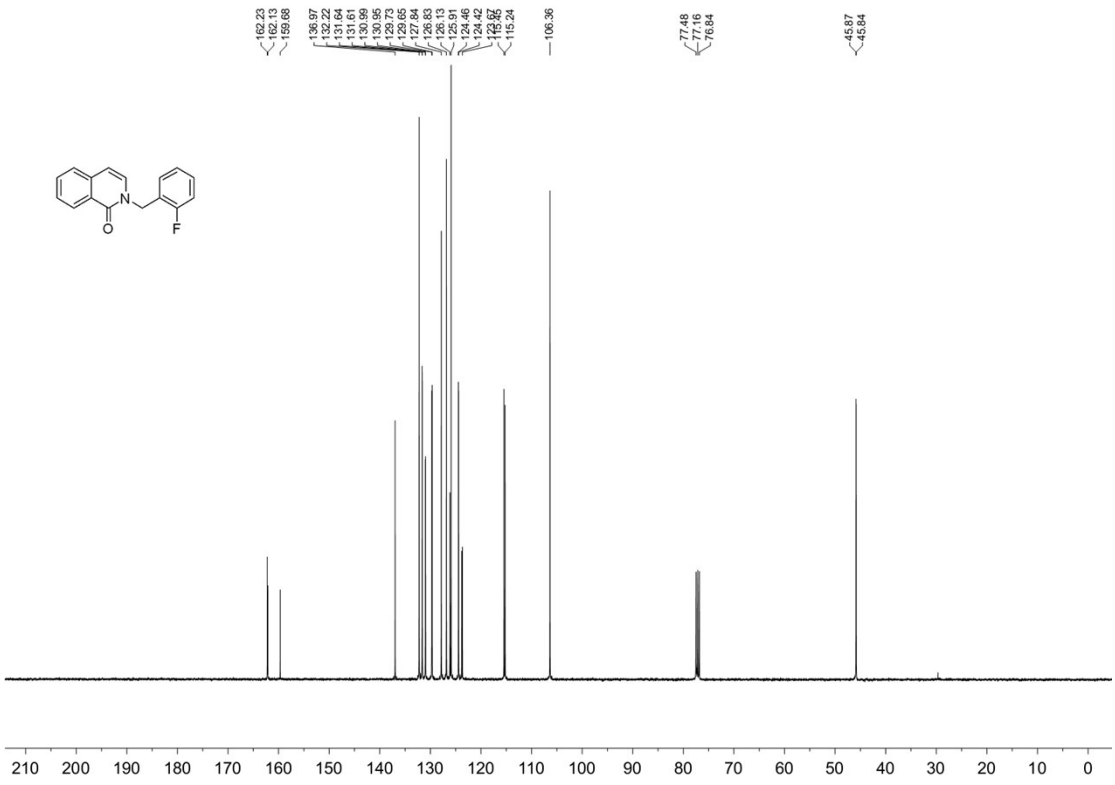
2-(2-Methylbenzyl)isoquinolin-1(2*H*)-one (**2y**)



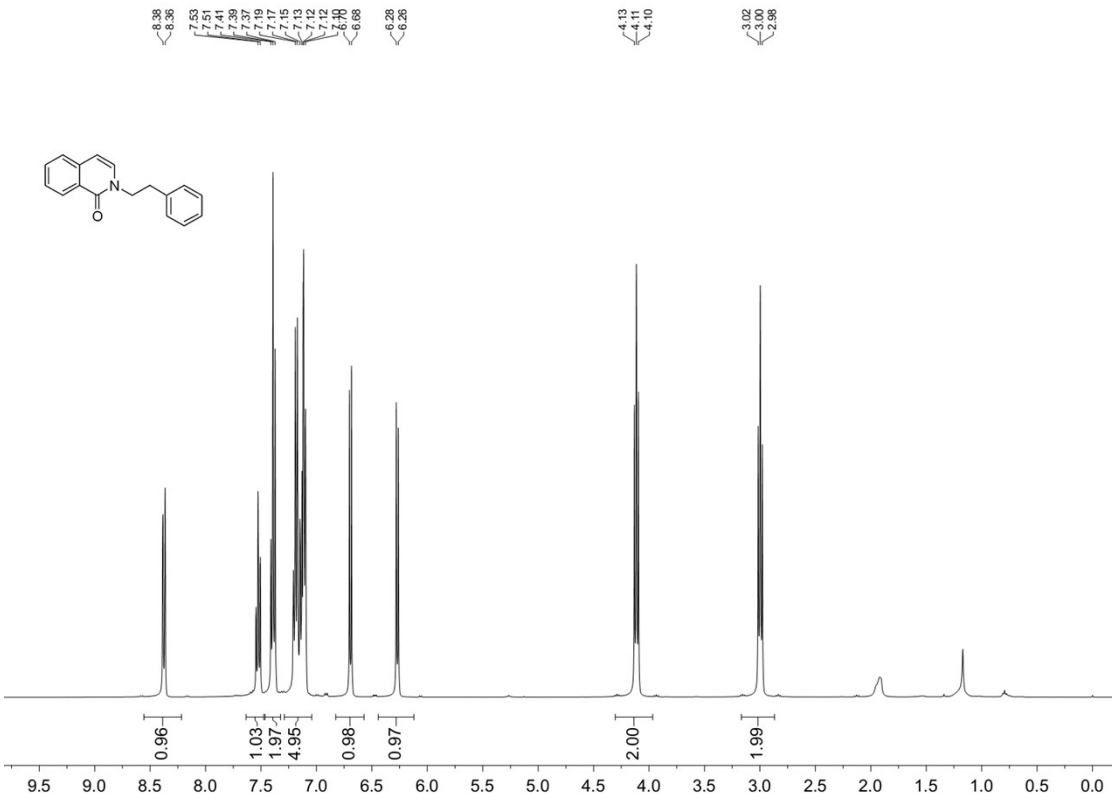


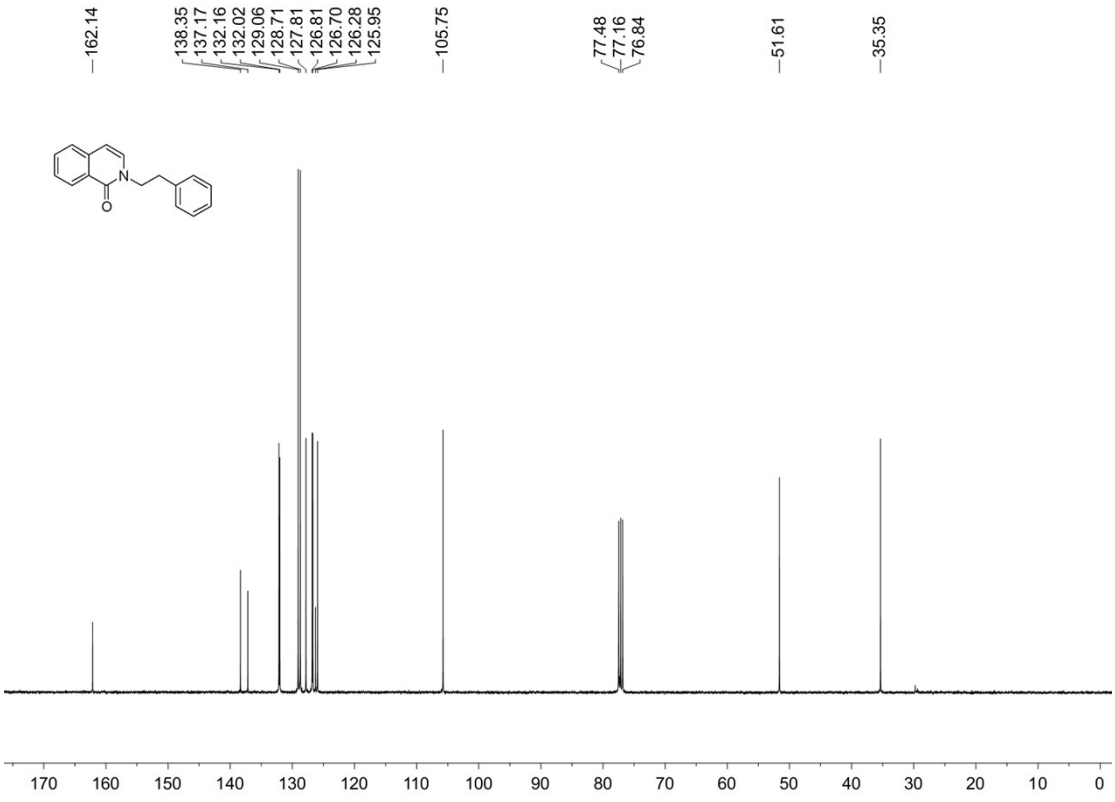
2-(2-Fluorobenzyl)isoquinolin-1(2*H*)-one (**2z**)



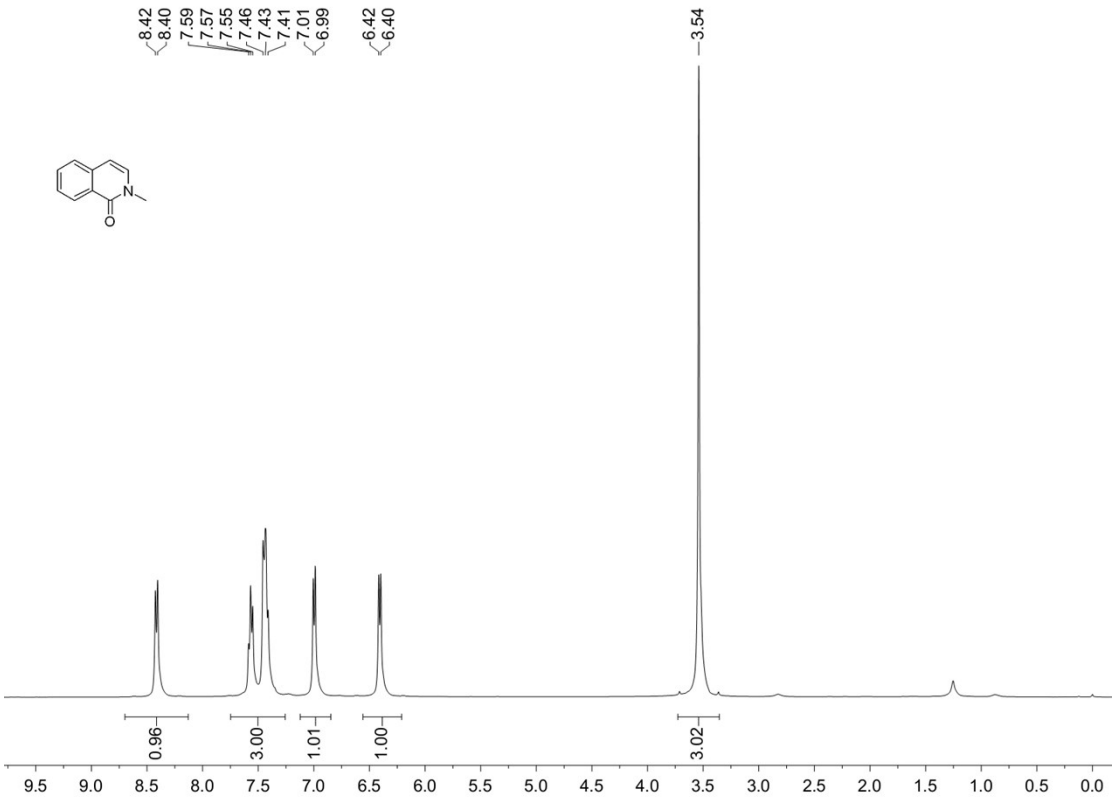


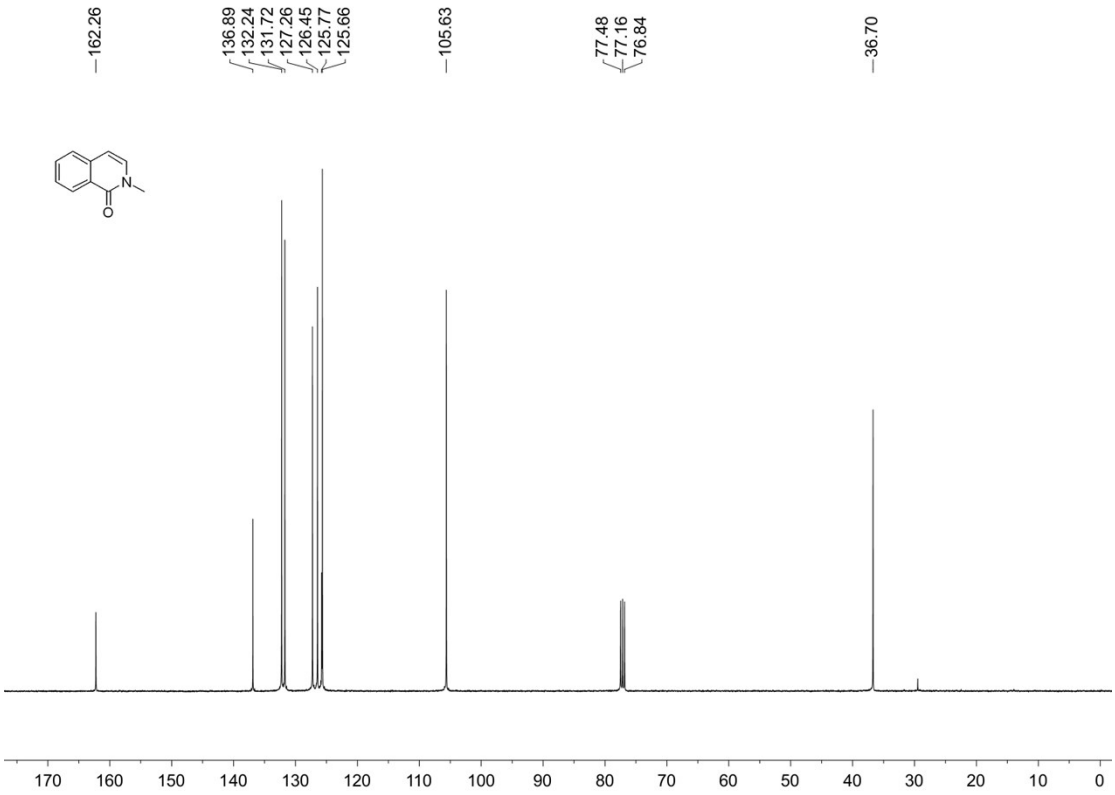
2-Phenethylisoquinolin-1(2*H*)-one (**2aa**)



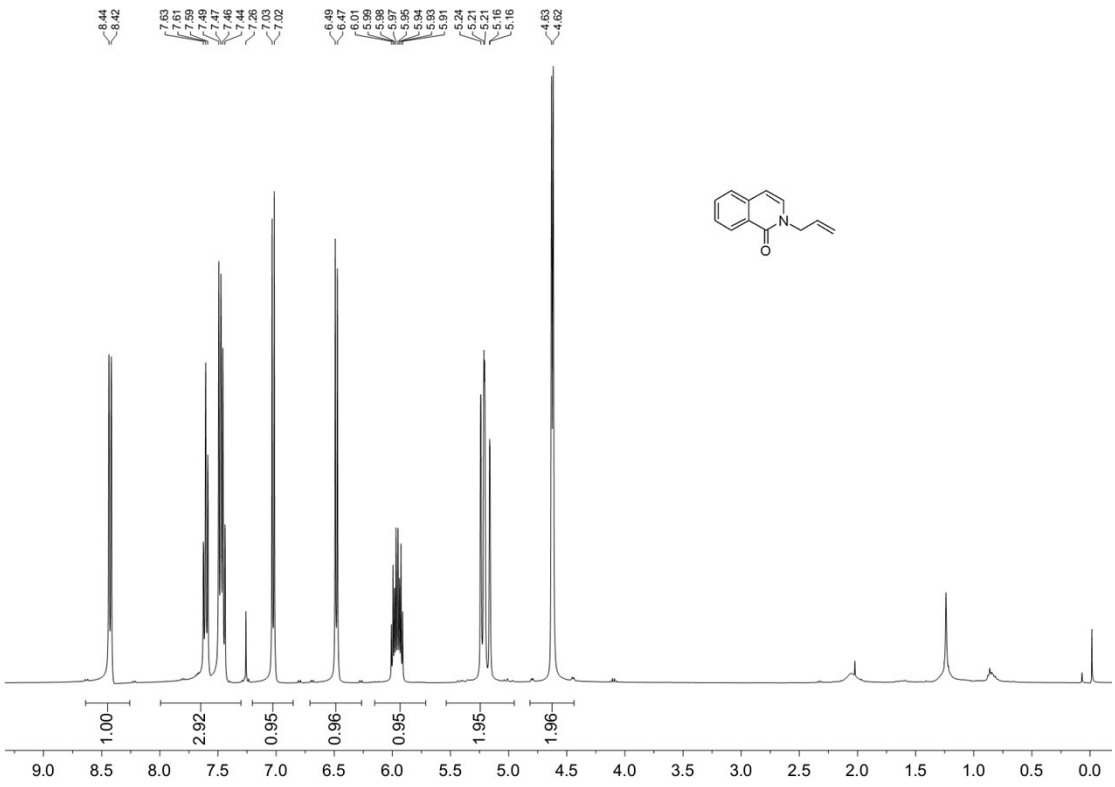


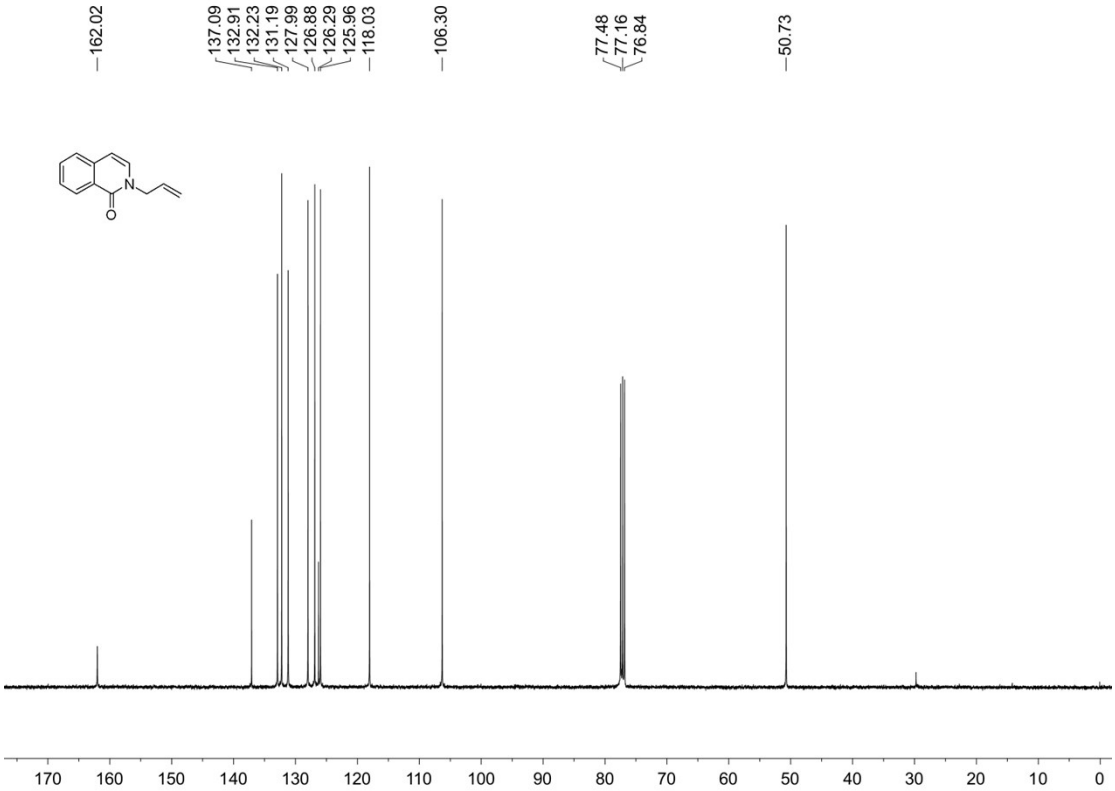
2-Methylisoquinolin-1(2*H*)-one (**2ab**)



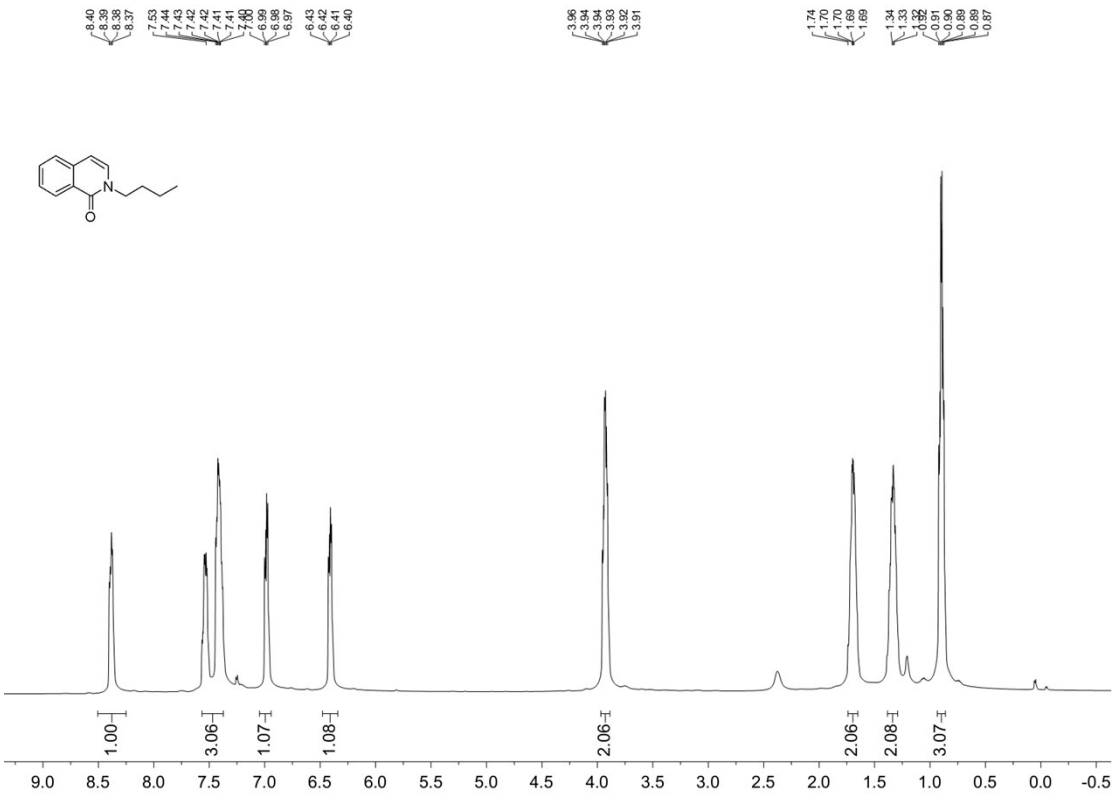


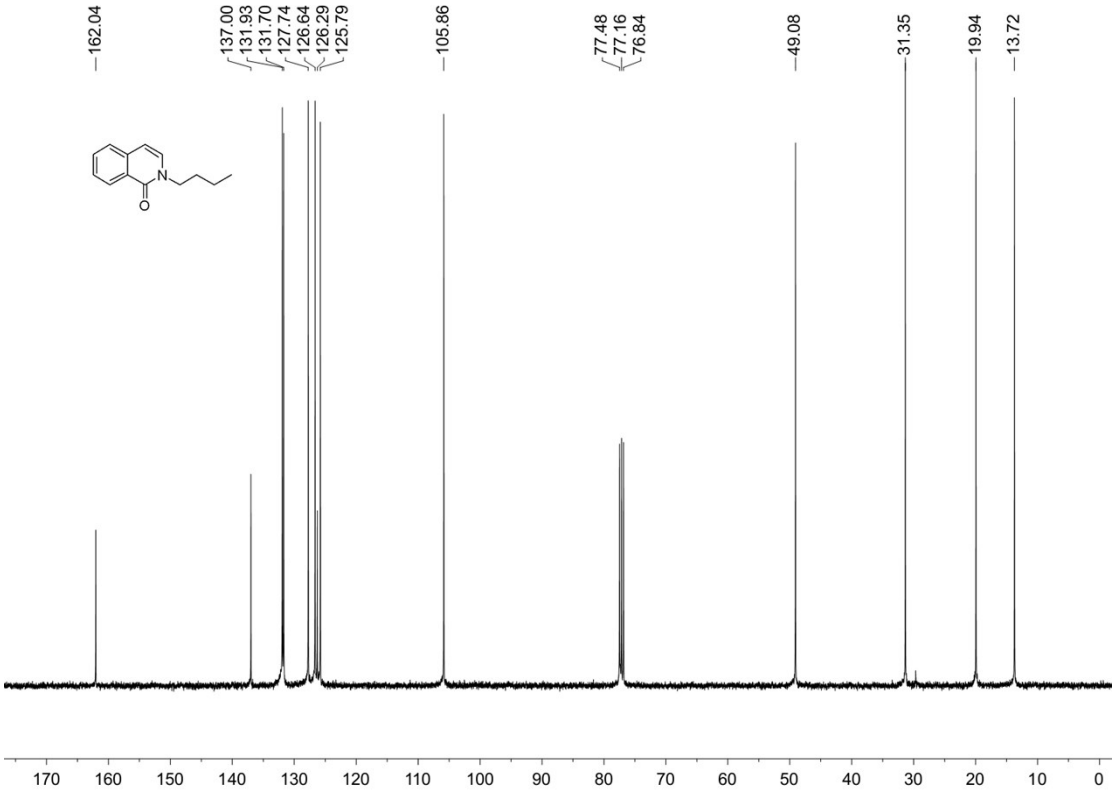
2-Allylisoquinolin-1(2*H*)-one (**2ac**)



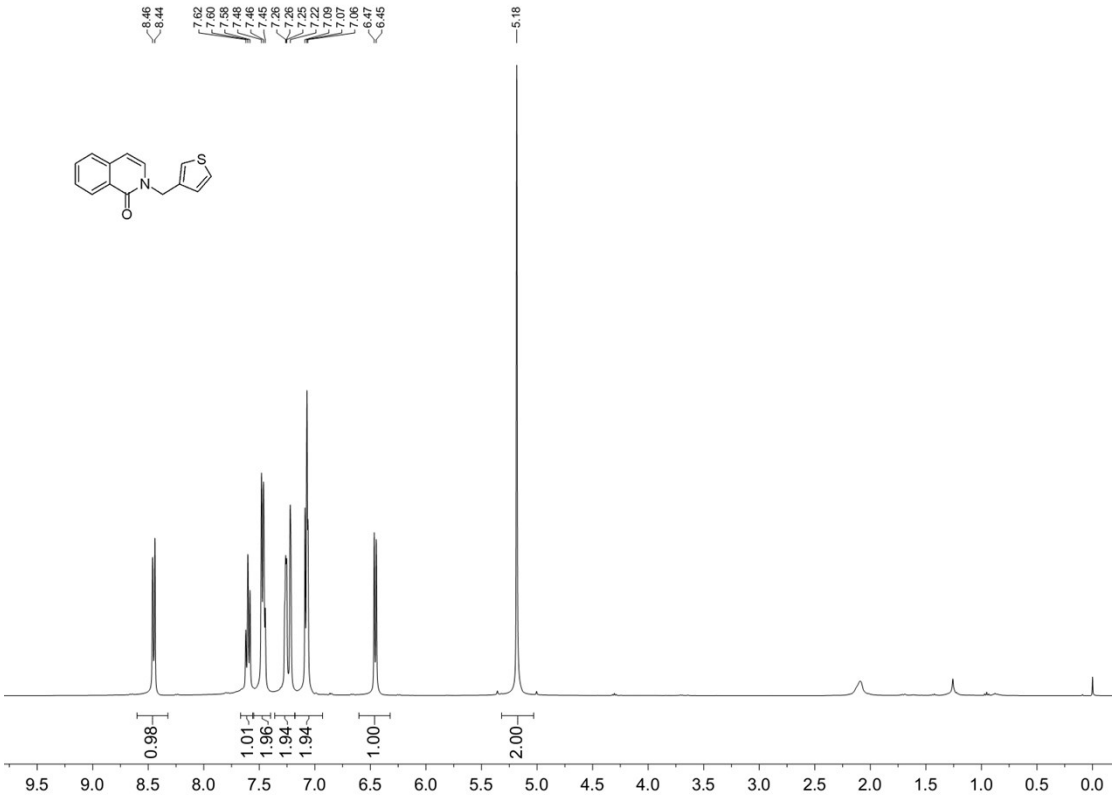


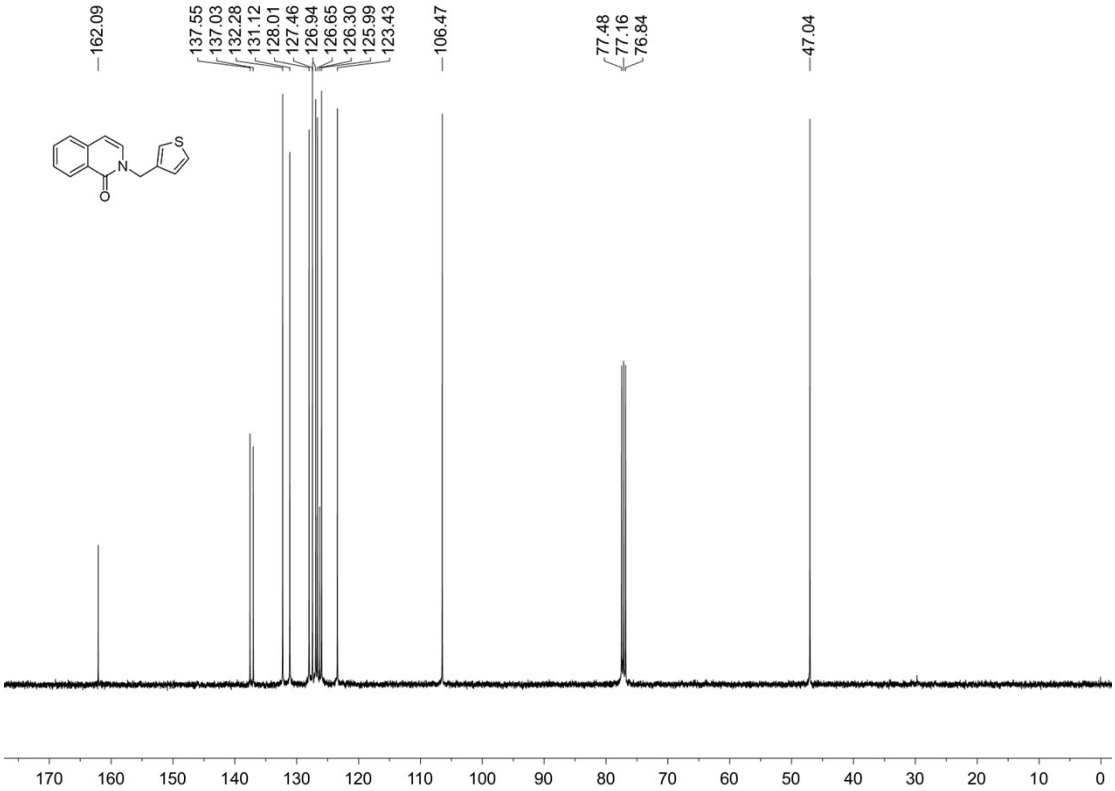
2-Butylisoquinolin-1(2*H*)-one (**2ad**)



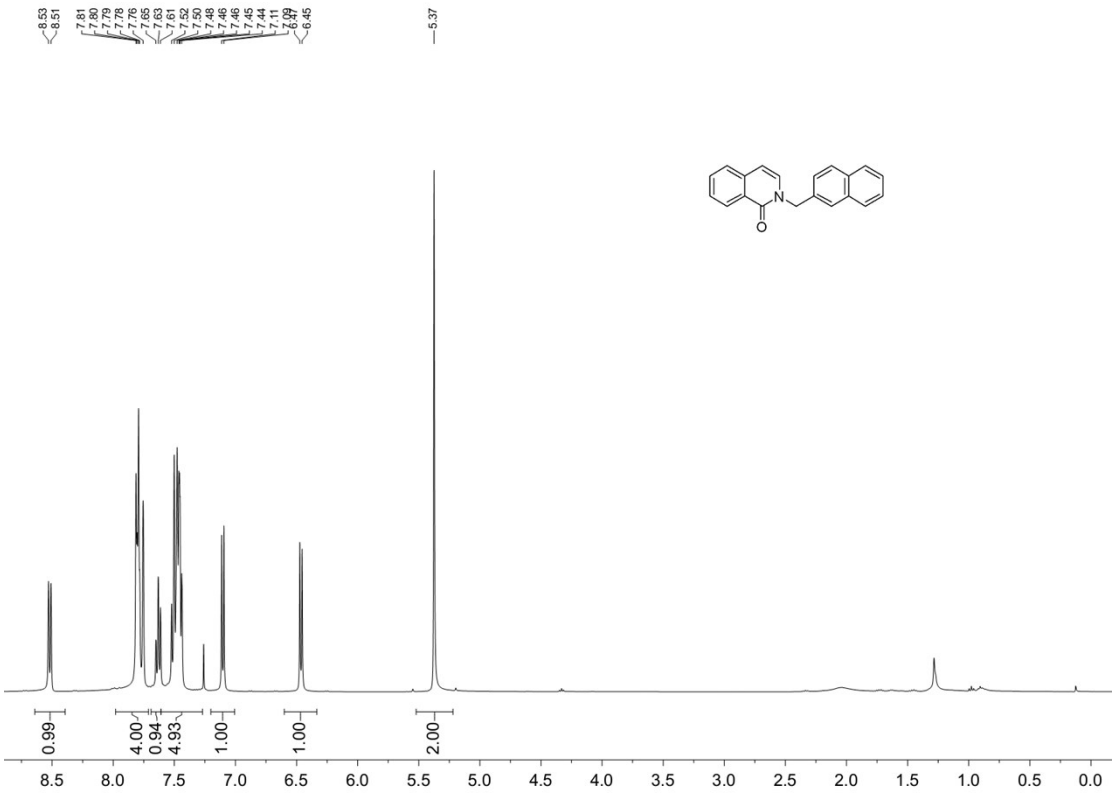


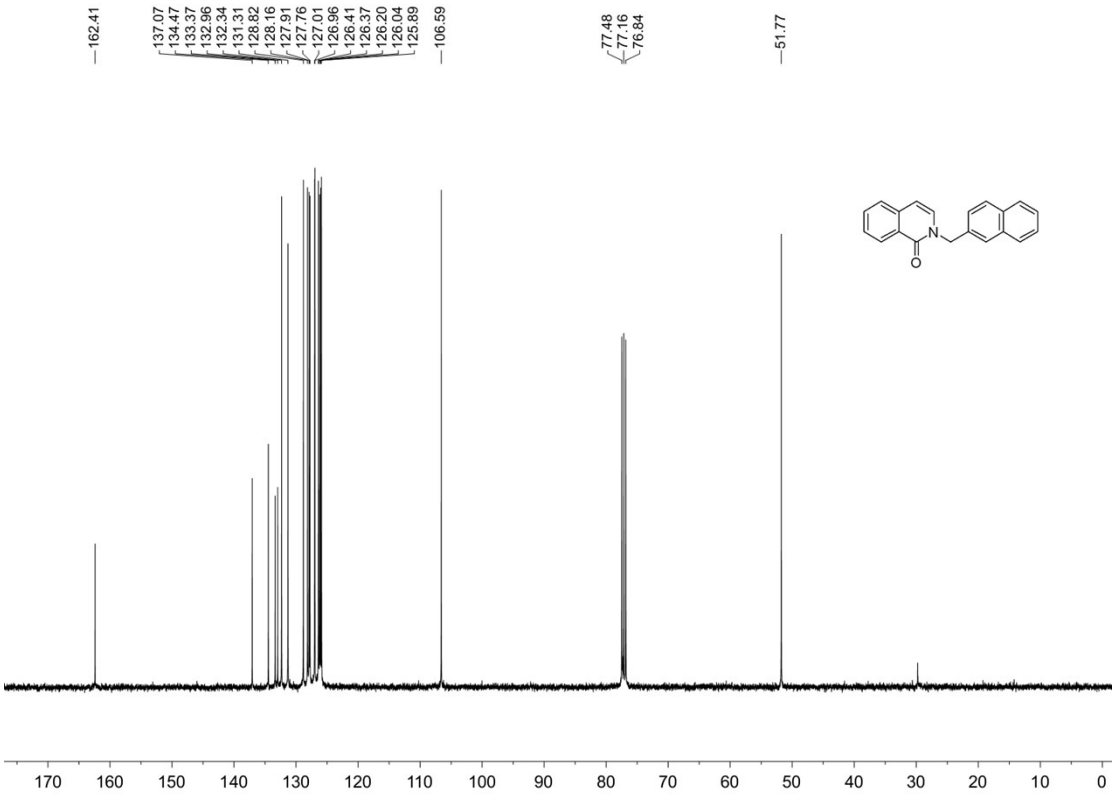
2-(Thiophen-3-ylmethyl)isoquinolin-1(2*H*)-one (**2ae**)



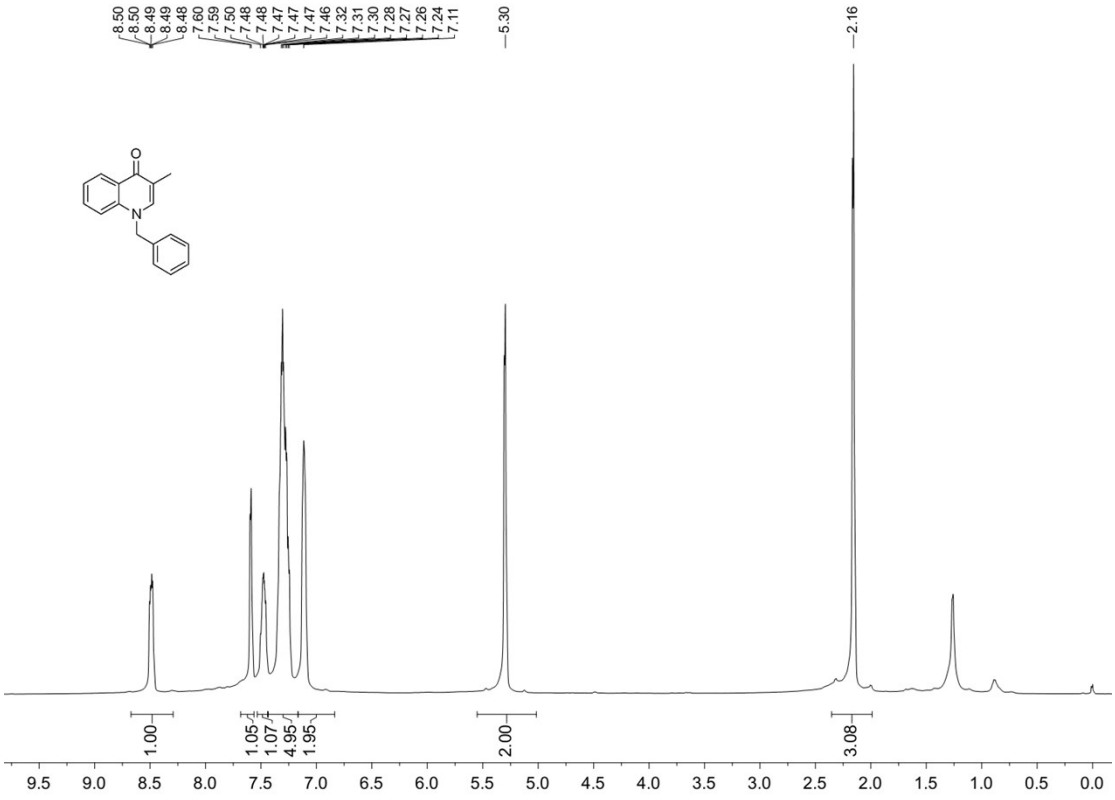


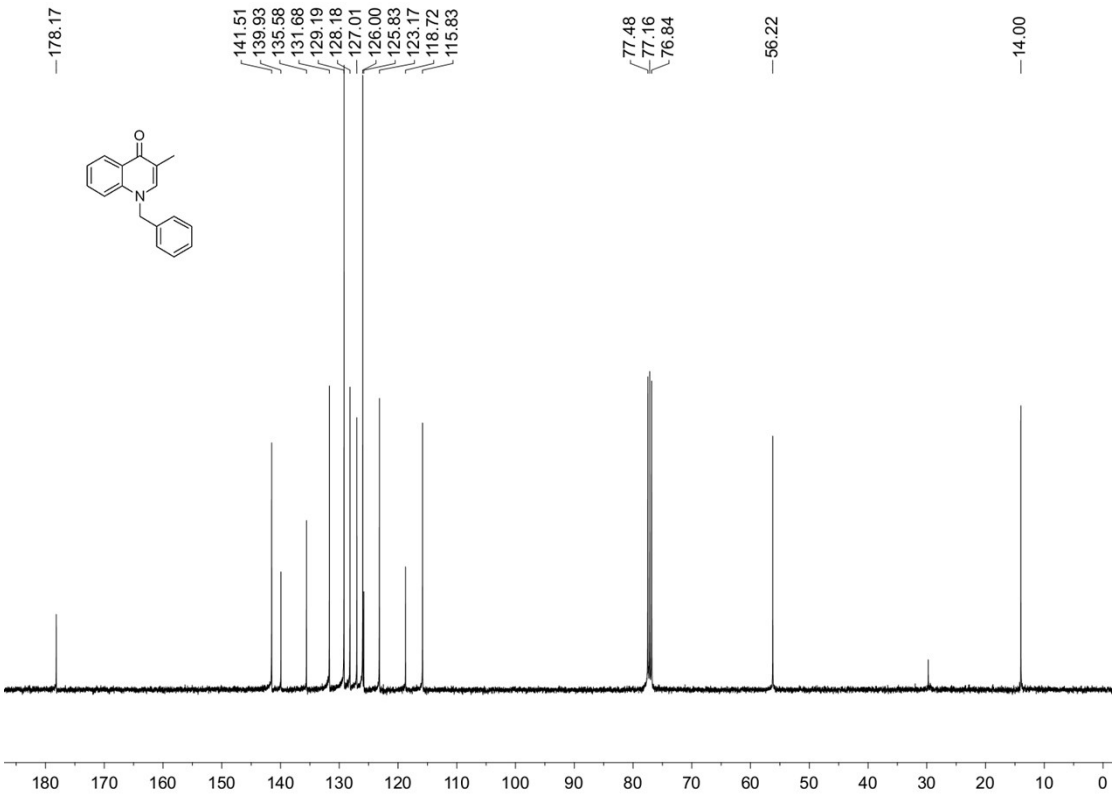
2-(Naphthalen-2-ylmethyl)isoquinolin-1(2*H*)-one (**2af**)



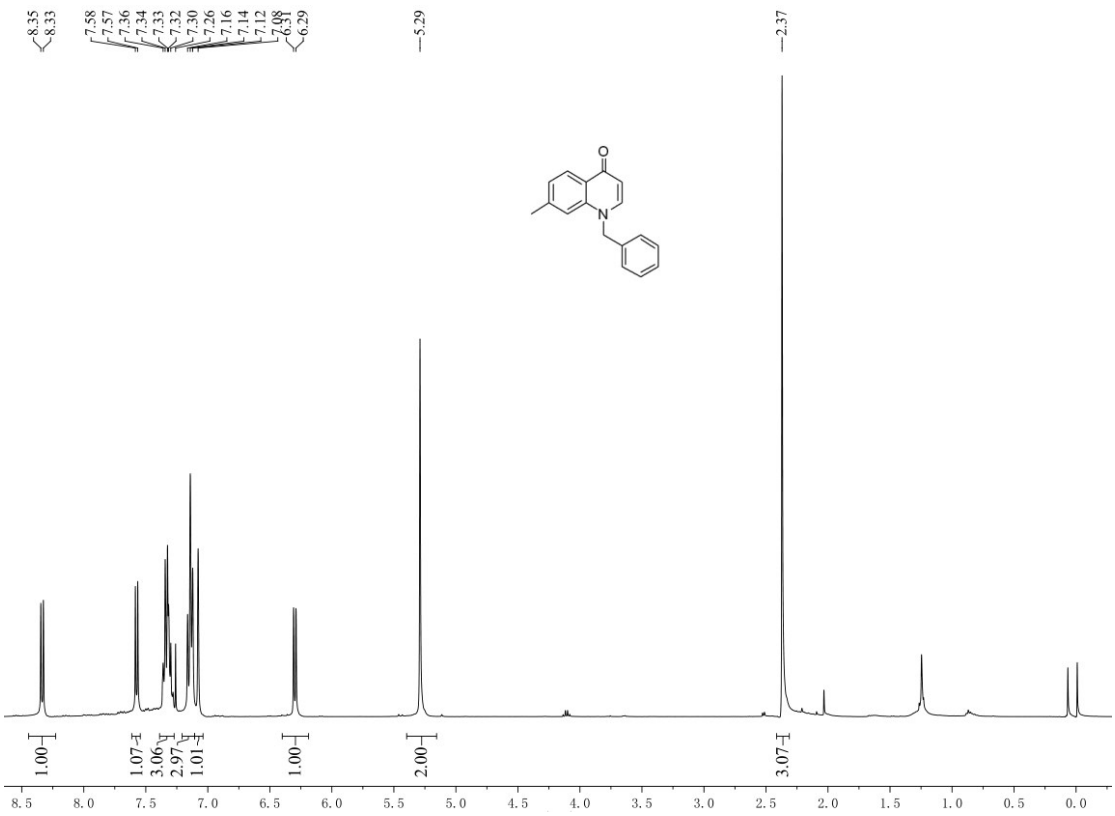


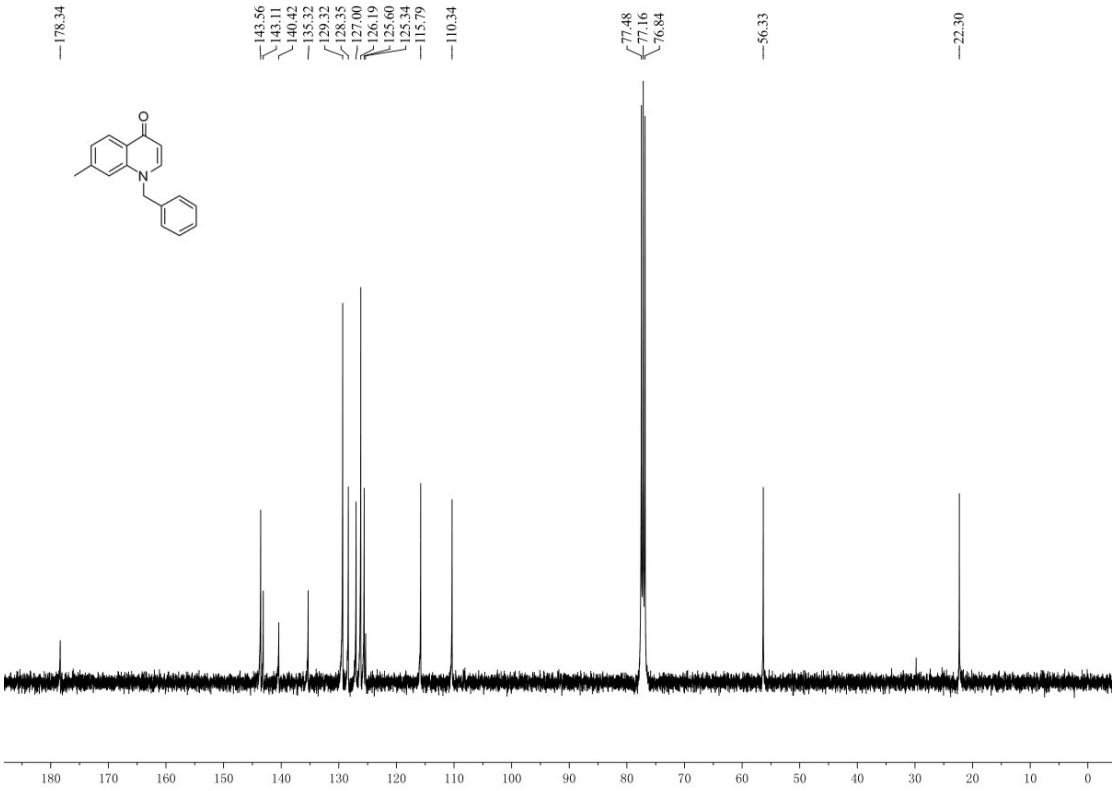
1-Benzyl-3-methylquinolin-4(1*H*)-one (**3a**)



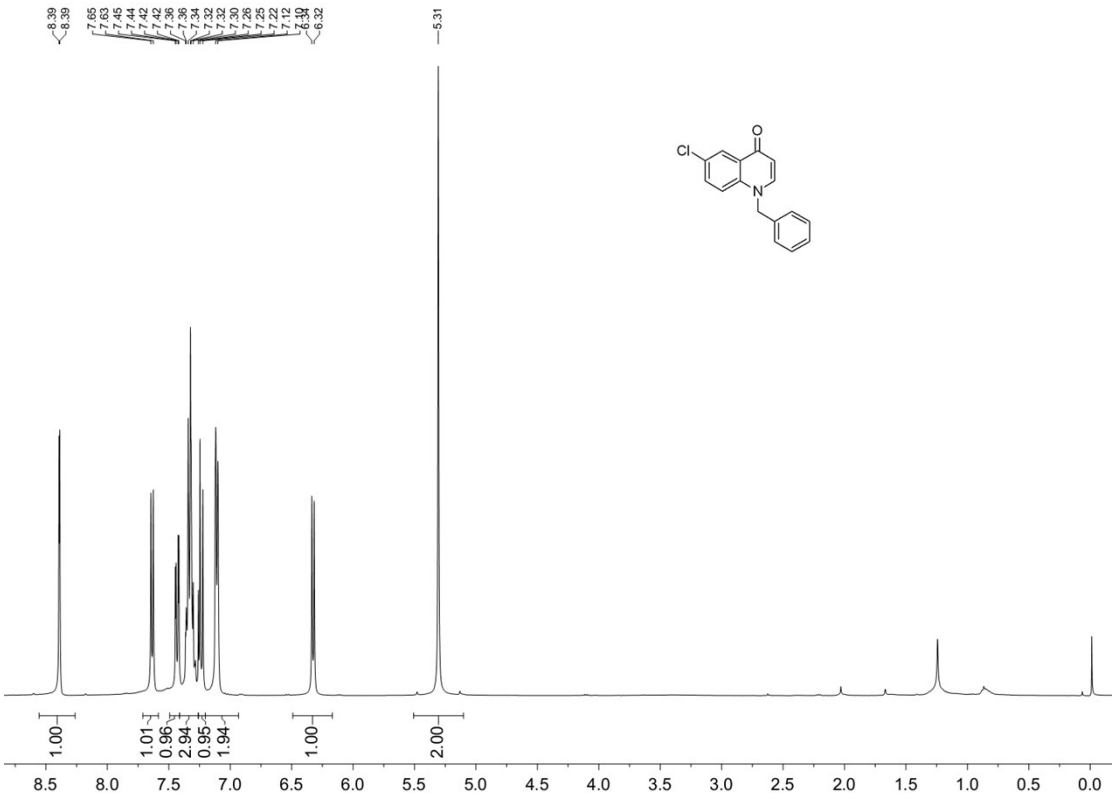


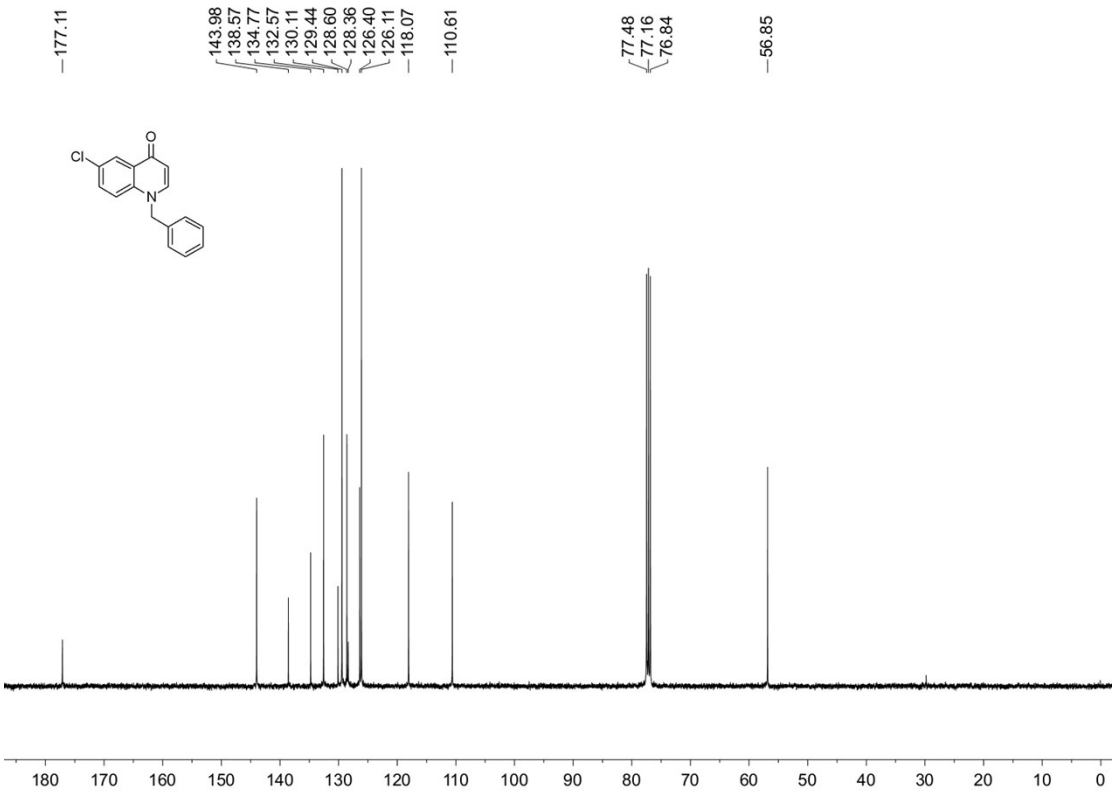
1-Benzyl-7-methylquinolin-4(1*H*)-one (**3b**)



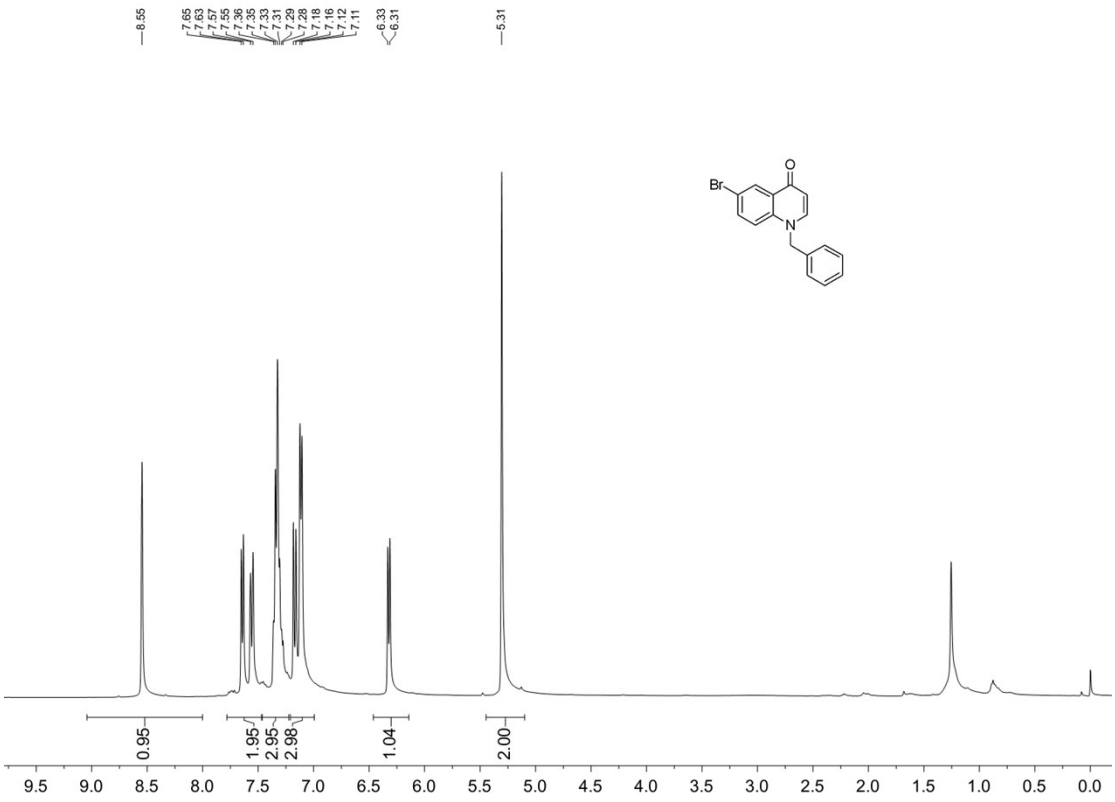


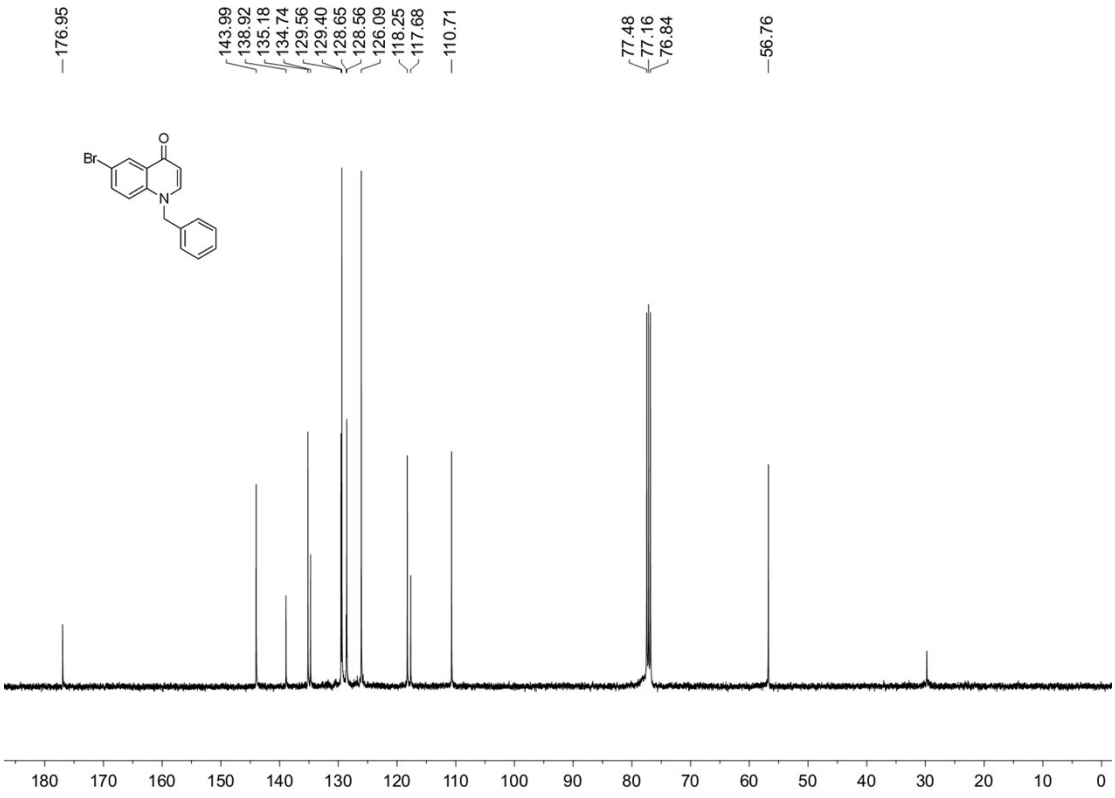
1-Benzyl-6-chloroquinolin-4(1*H*)-one (**3c**)



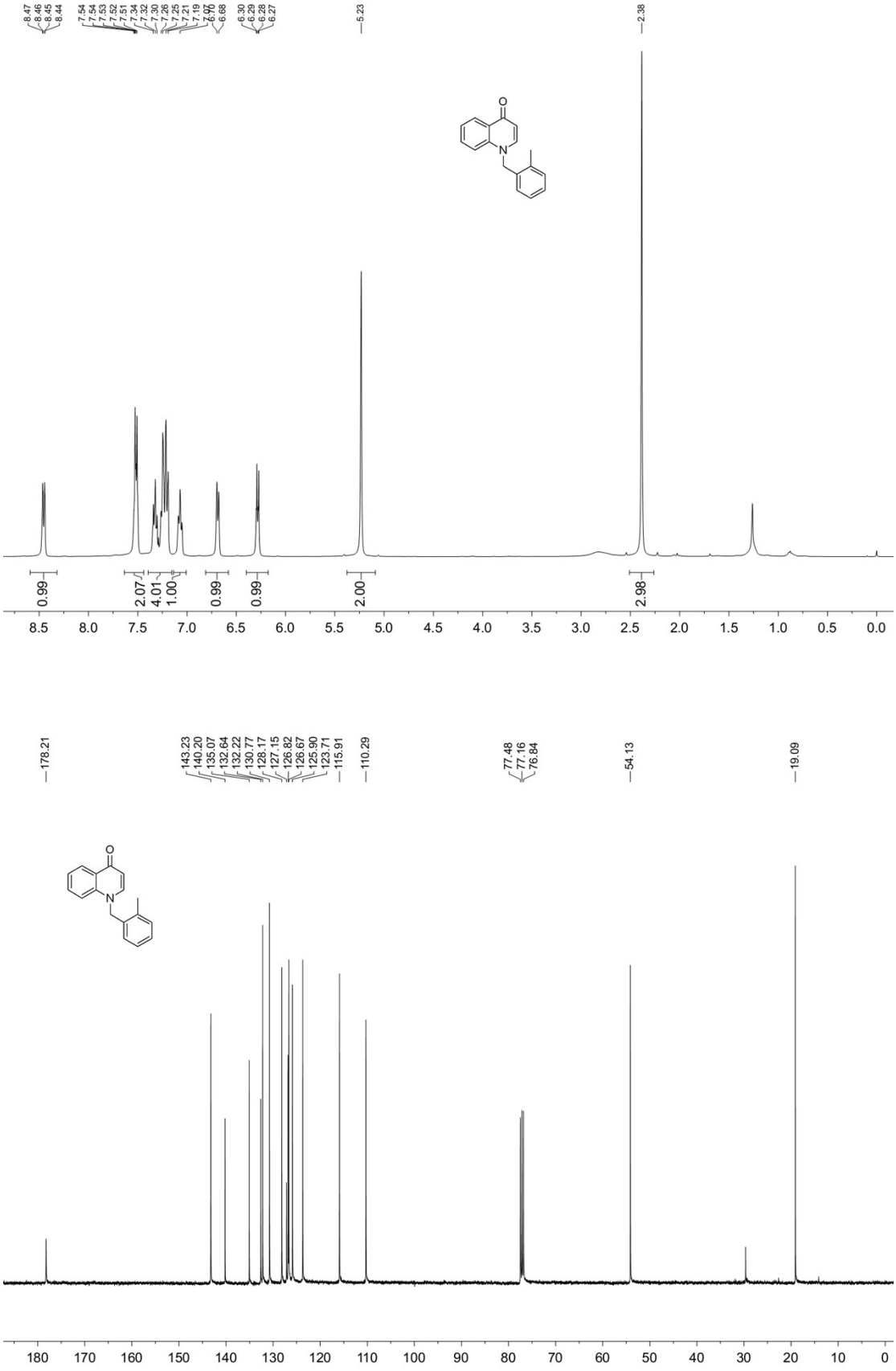


1-Benzyl-6-bromoquinolin-4(1*H*)-one (**3d**)

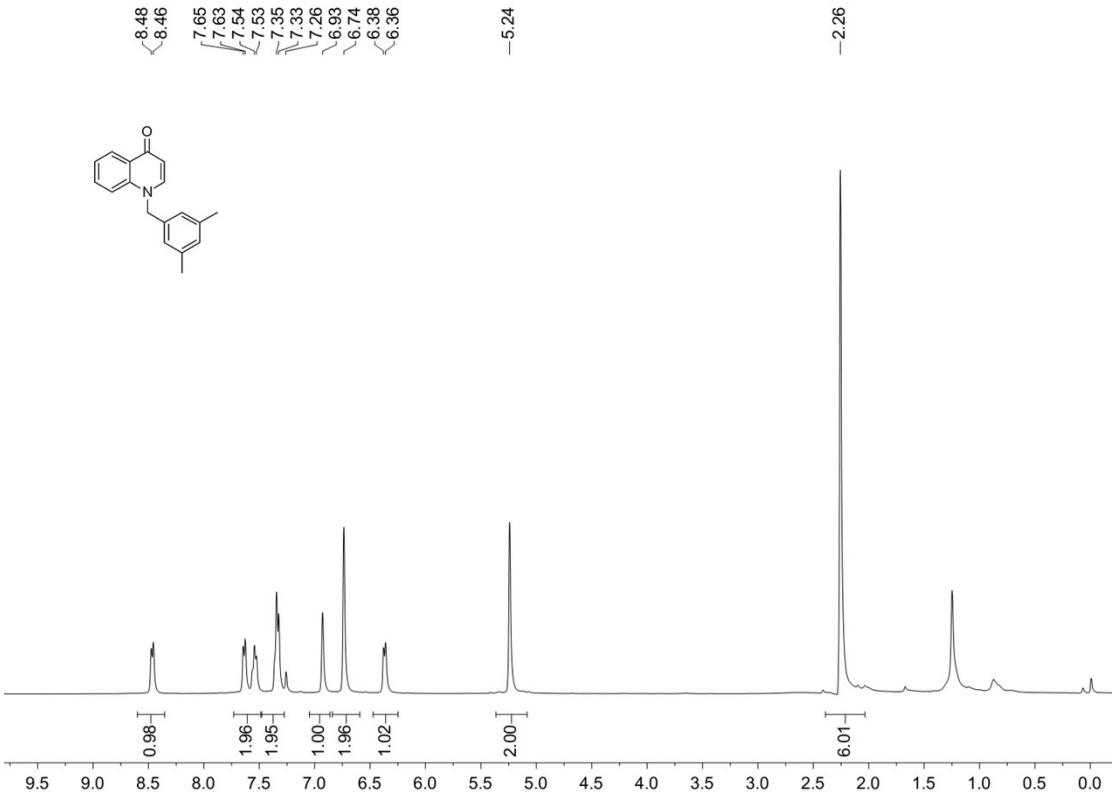


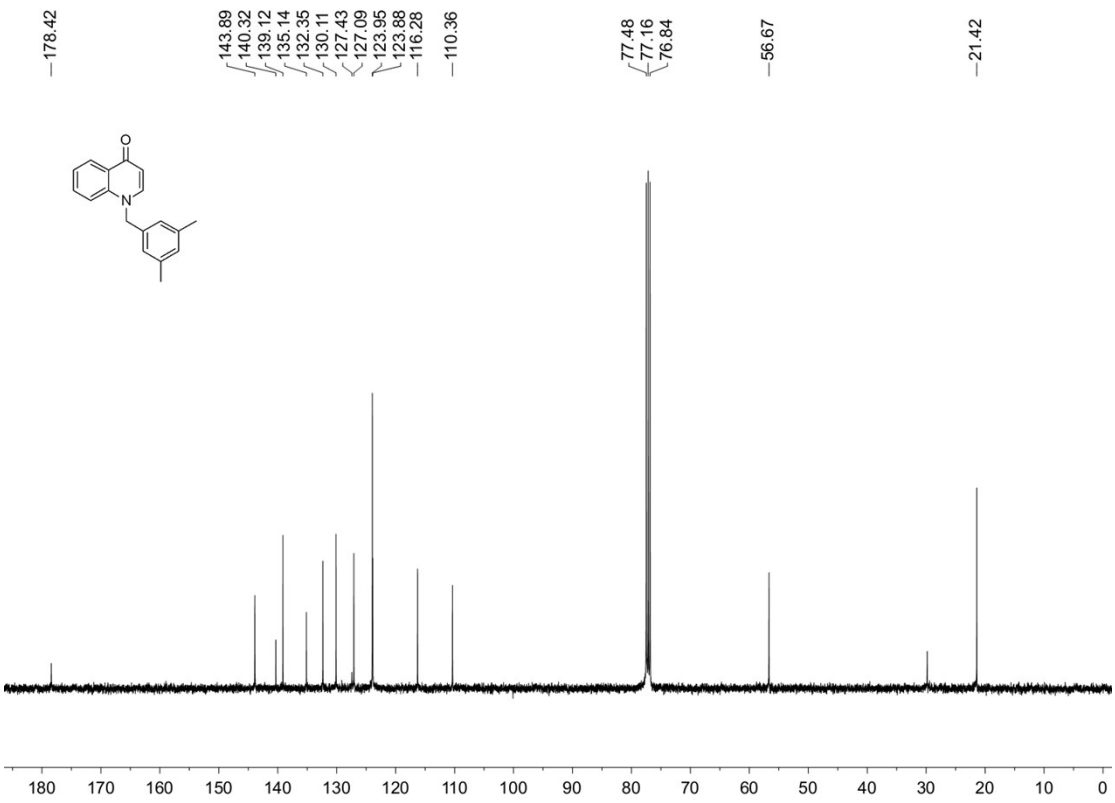


1-(2-Methylbenzyl)quinolin-4(1*H*)-one (**3e**)

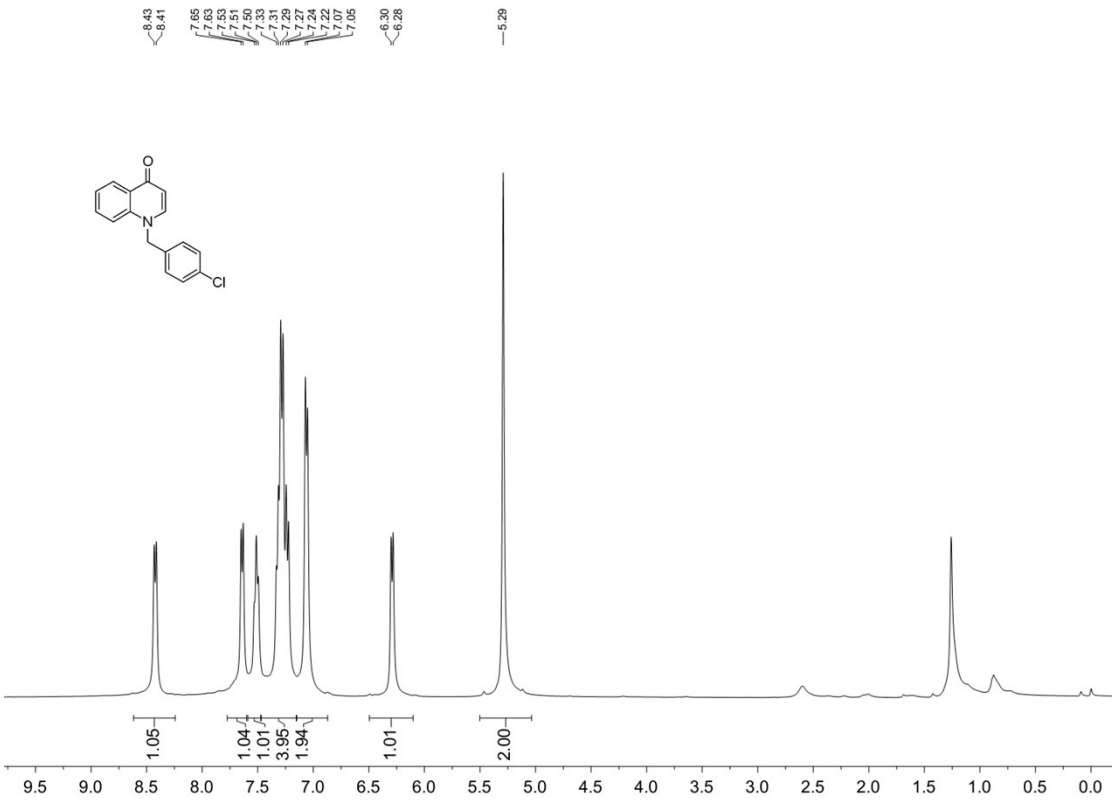


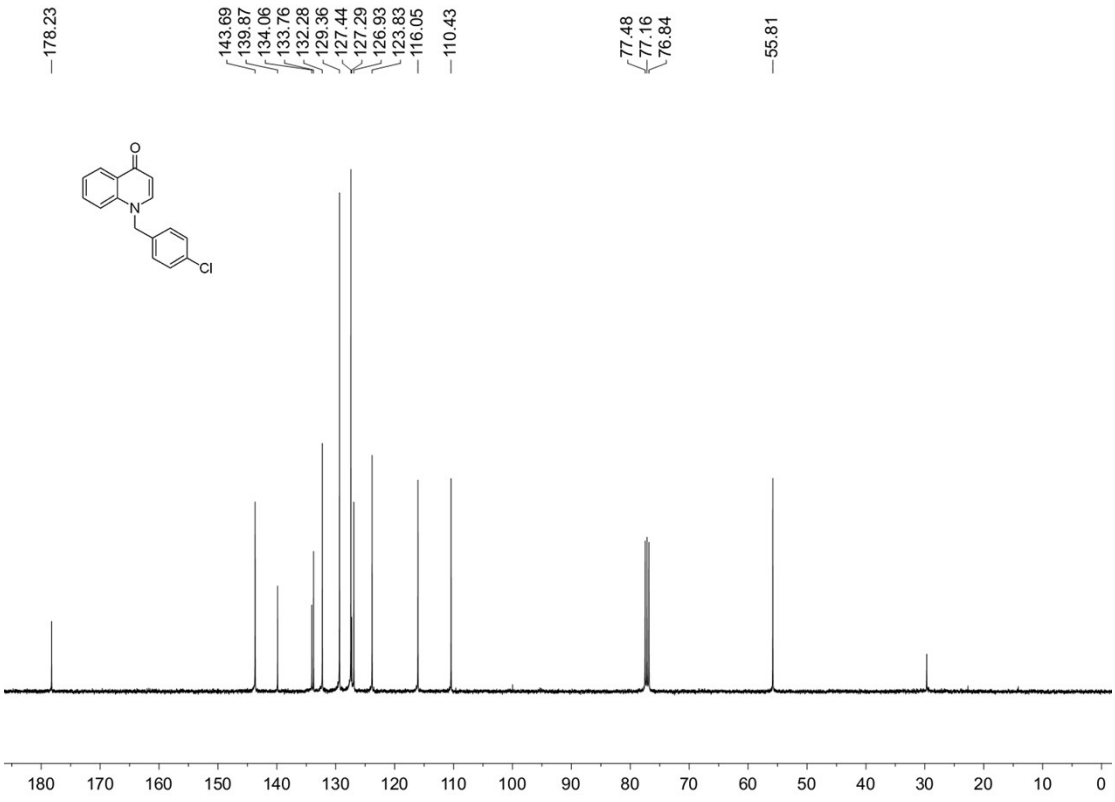
1-(3,5-Dimethylbenzyl)quinolin-4(1*H*)-one (**3f**)



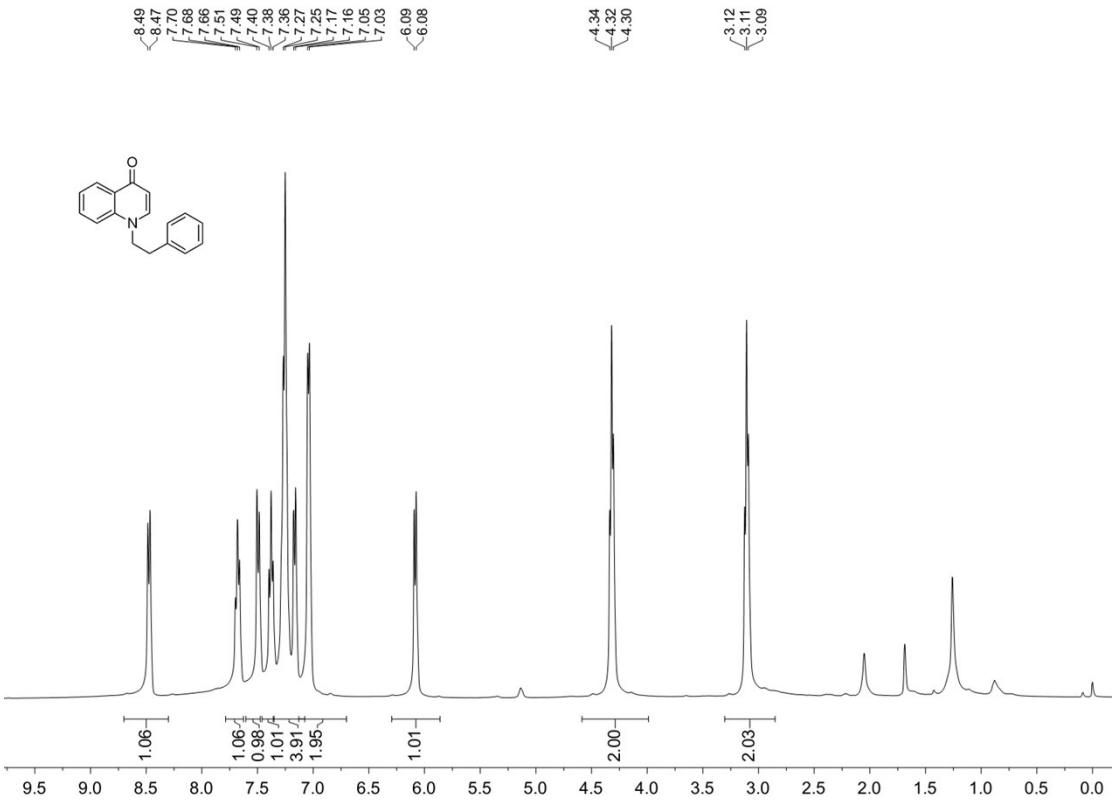


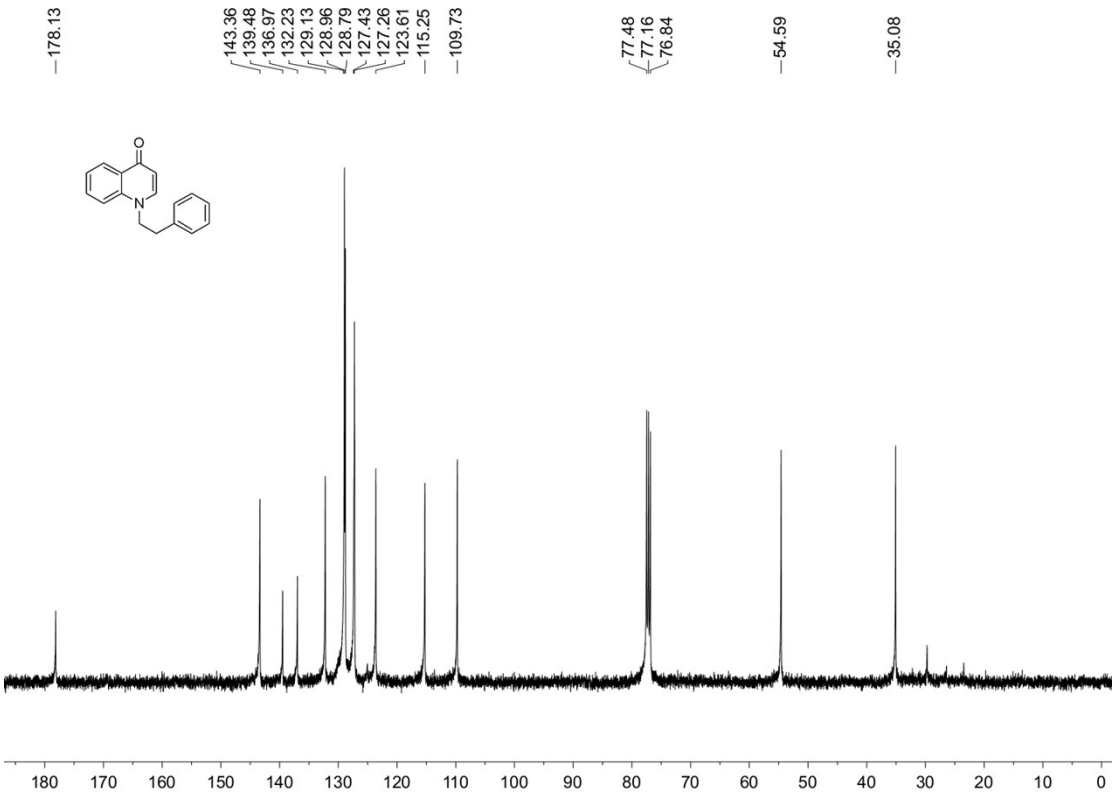
1-(4-Chlorobenzyl)quinolin-4(1*H*)-one (**3g**)



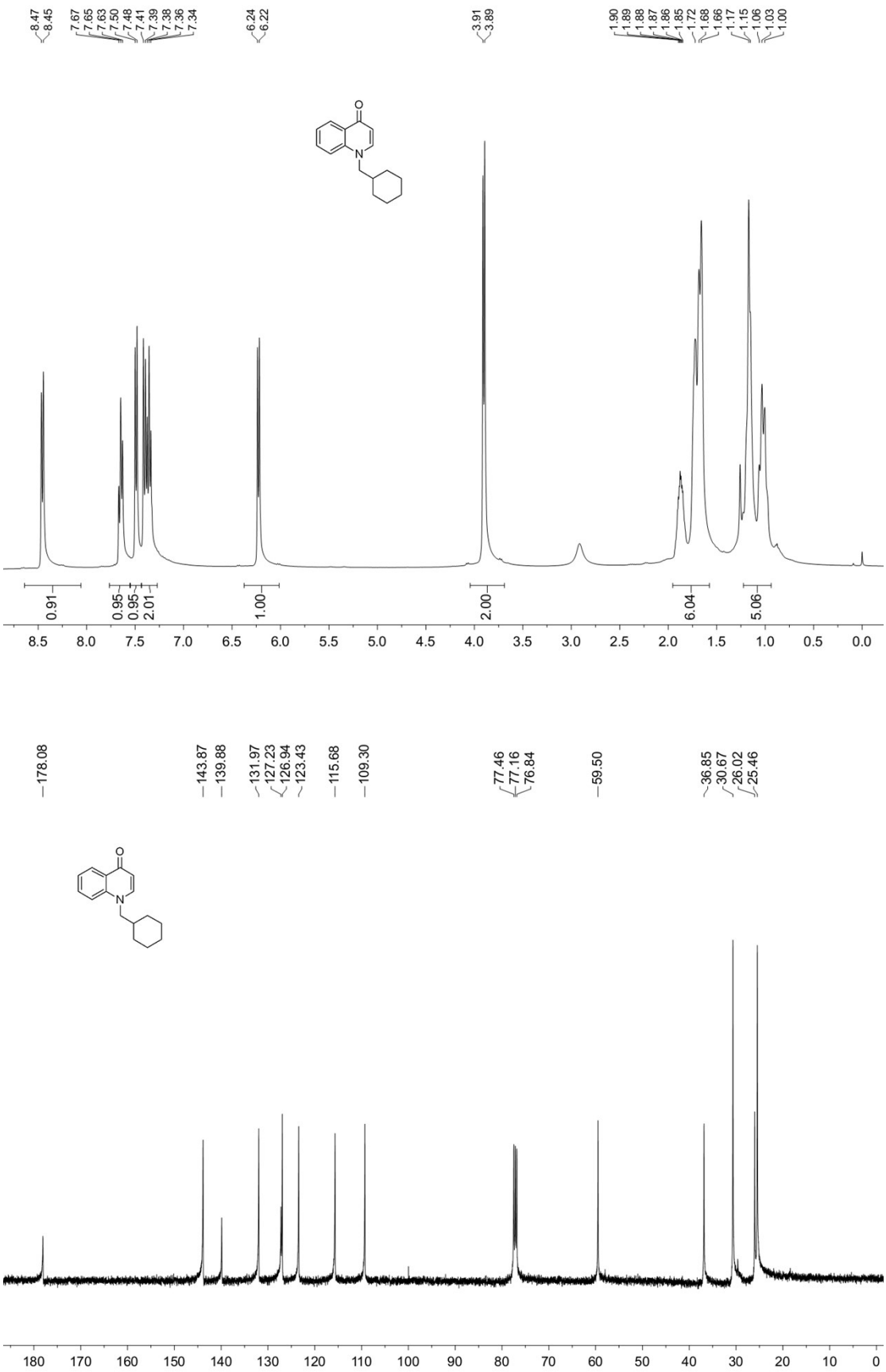


1-Phenethylquinolin-4(1*H*)-one (**3h**)

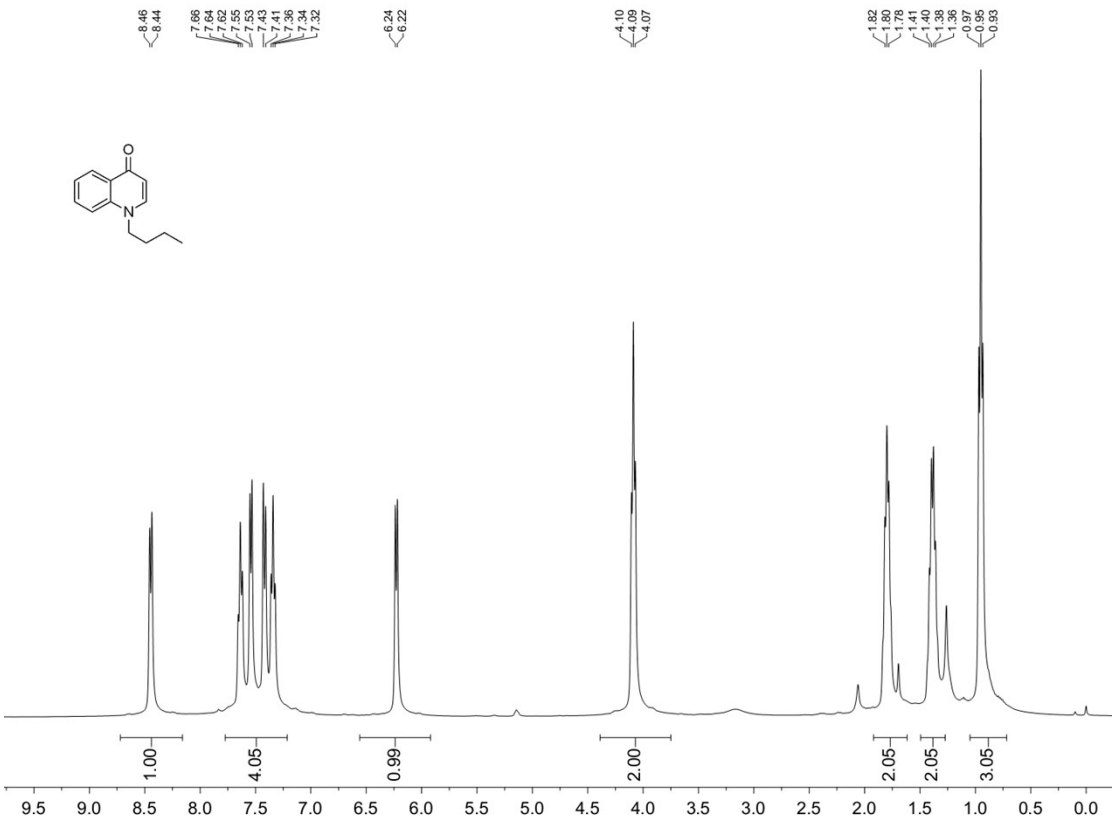


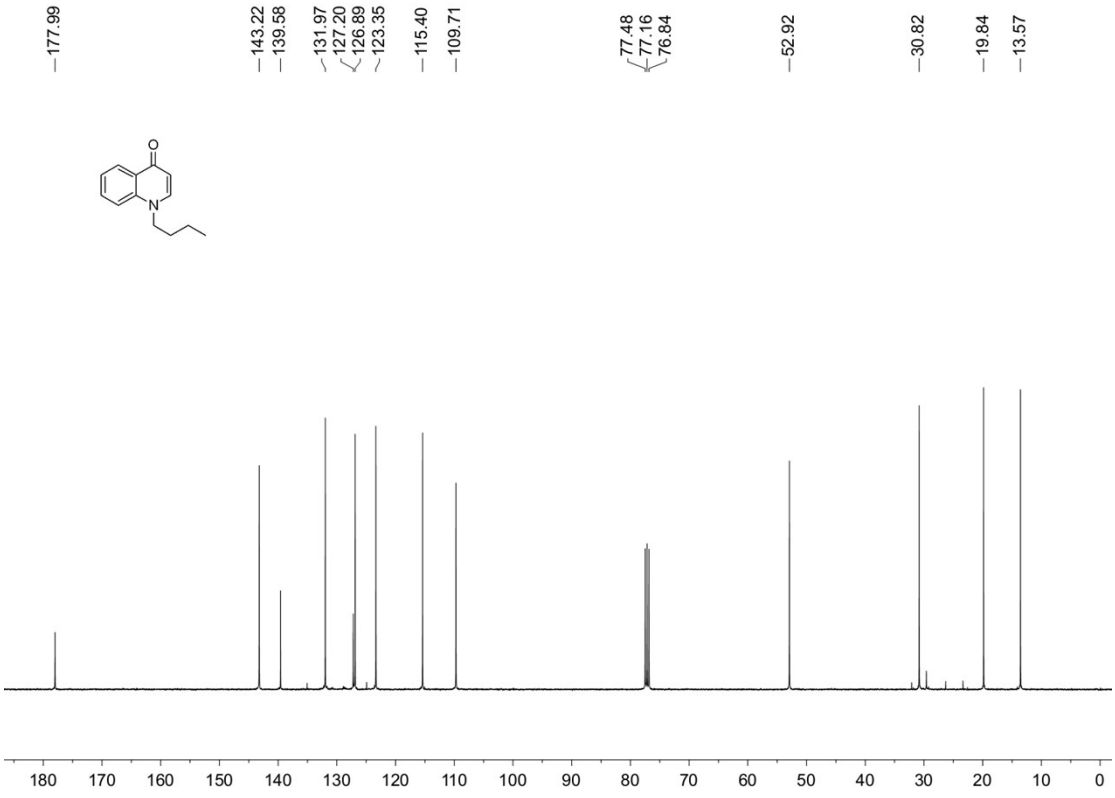


1-(Cyclohexylmethyl)quinolin-4(1*H*)-one (**3i**)

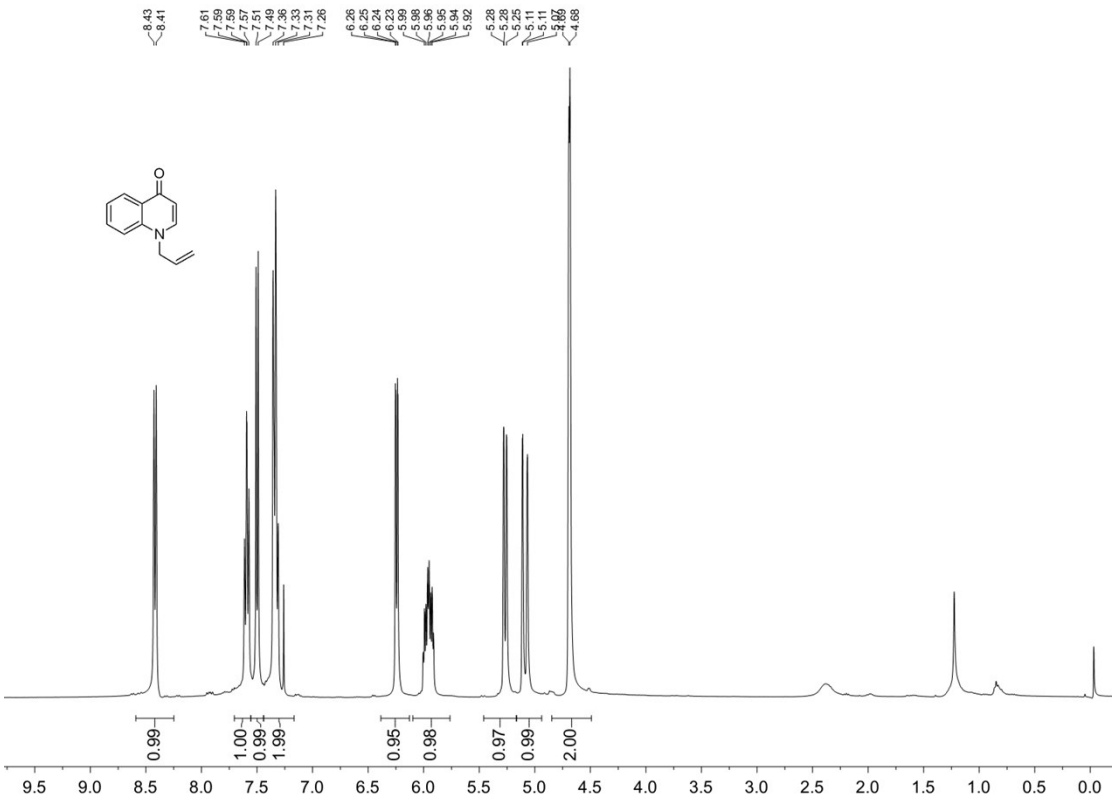


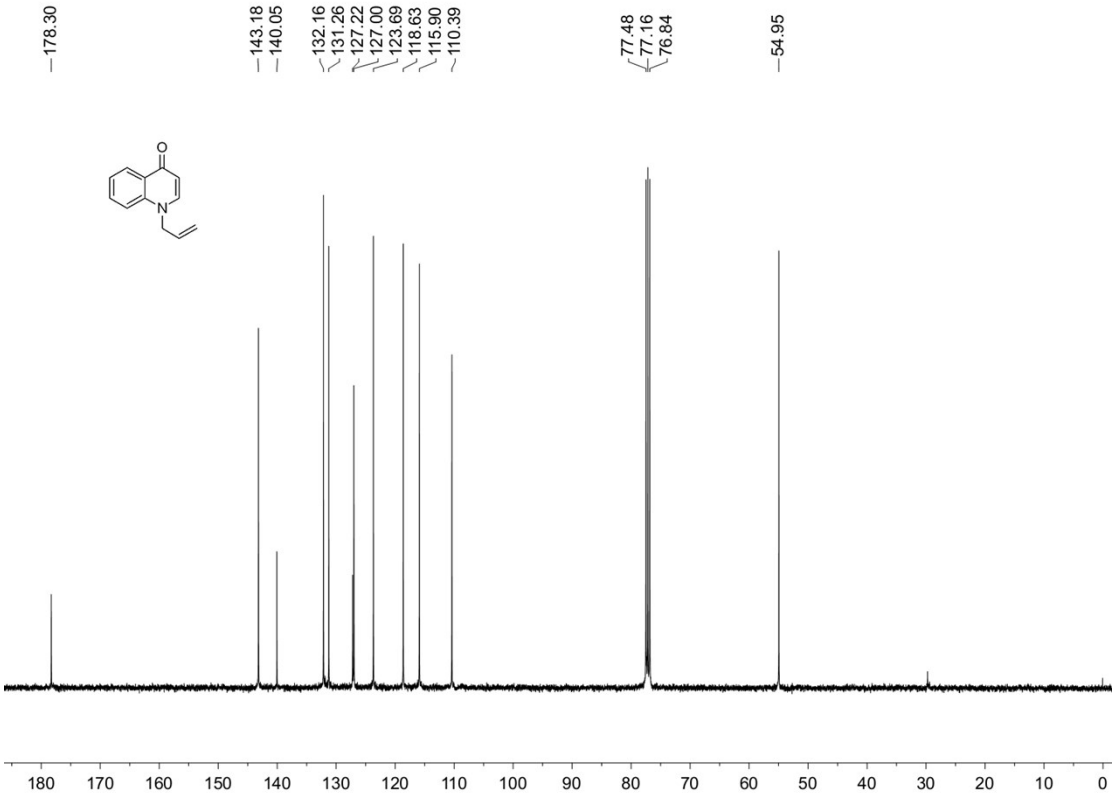
1-Butylquinolin-4(1*H*)-one (**3j**)



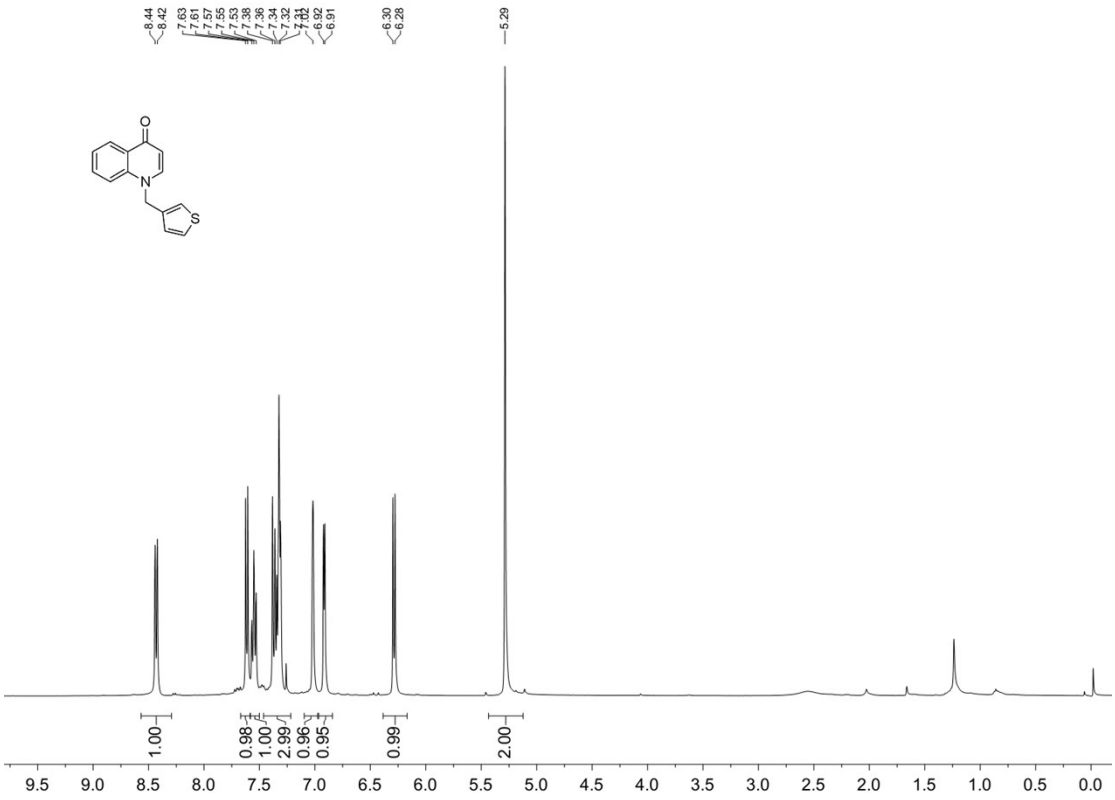


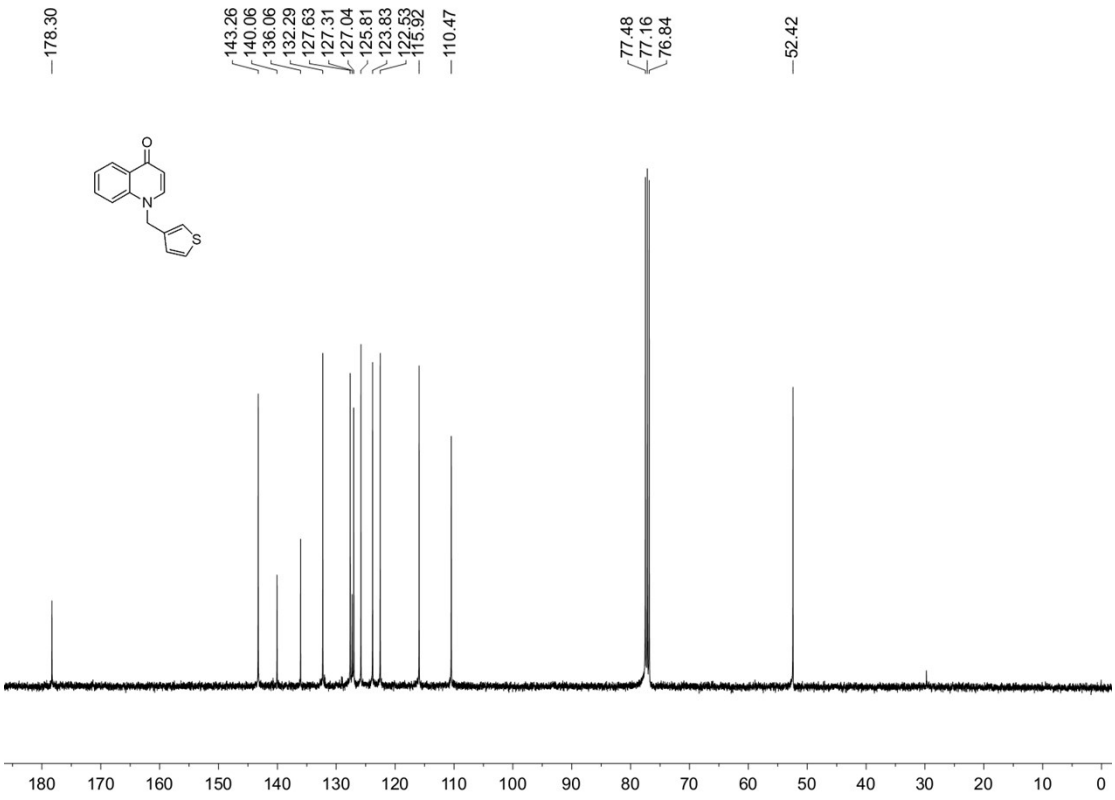
1-Allylquinolin-4(1*H*)-one (**3k**)





1-(Thiophen-3-ylmethyl)quinolin-4(1*H*)-one (**4l**)


8.44
8.42
7.63
7.61
7.57
7.55
7.53
7.38
7.36
7.34
7.32
6.92
6.91
6.30
6.28
5.29
O
N
S
1.00
0.98
1.00
2.99
0.96
0.95
0.99
2.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0


178.30
143.26
140.06
136.06
132.29
127.63
127.31
127.04
125.81
123.83
122.53
115.92
110.47
77.48
77.16
76.84
52.42
O
N
S
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0