Electronic Supplementary Material (ESI) for ChemComm.

Supporting information

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1. **Reagents:** Unless otherwise noted, all reagents were purchased from commercial suppliers and used without further purification. Column chromatography purifications were performed using 200–300 mesh silica gel.

2. **Instruments:** NMR spectra were recorded on Varian Inova–400 MHz, Inova–300 MHz, Bruker DRX–400 or Bruker DRX–500 instruments and calibrated using residual solvent peaks as internal reference. Multiplicities are recorded as: s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, m = multiplet. HRMS analysis were carried out using a BrukermicrOTOF–Q instrument or a TOF–MS instrument.

3. Details for optimization

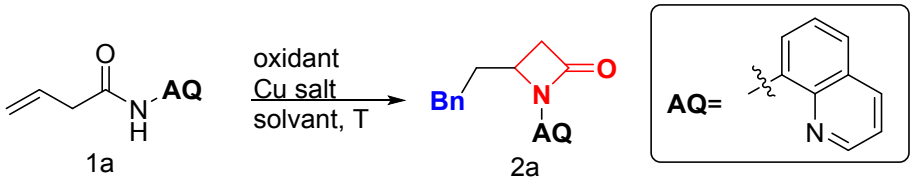
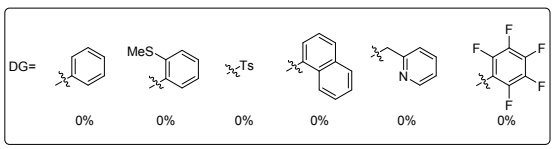


Table S1. Optimization of reaction conditions in article.[a]

Entry	R	Catalyst	Oxidant	Base	Yield(%)[b]
1	H	$Cu(OAc)_2•H_2O$	DTBP	/	26
2	H	$CuBr_2$	DTBP	/	56
3	H	$Cu(OTf)_2$	DTBP	/	68
4	H	CuBr	DTBP	/	20
5	H	CuSCN	DTBP	/	34
6	**H**	**$Cu(CH_3CN)_4PF_6$**	**DTBP**	**/**	**85**
7	H	$Cu(CH_3CN)_4PF_6$	DTBP	K_2CO_3	20
8	H	$Cu(CH_3CN)_4PF_6$	DTBP	NaOAc	12
9	H	/	DTBP	/	0
10	H	$Cu(CH_3CN)_4PF_6$	/]	/	0
11	OCH_3	$Cu(CH_3CN)_4PF_6$	DTBP	/	80



[a]Reaction conditions: **1a** (0.2 mmol), DTBP (0.6 mmol), Cu salt (0.02 mmol) in 1 mL toluene at 130 °C for 8 h; Isolated yield.

Table S2. Screening of oxidant[a,b]

Entry	Oxidant (3 eq)	Cu (10 mol%)	Solvent	Base(2 eq)	T (^{0}C)	Yield (%)[b]
1	TBHP	CuI	toluene	/	110	0
2	TBPB	CuI	toluene	/	110	0
3	$K_2S_2O_8$	CuI	toluene	/	110	0
4	H_20_2	CuI	toluene	/	110	0
5	$PhI(OAc)_2$	CuI	toluene	/	110	0
6	**DTBP**	**CuI**	**toluene**	**/**	**110**	**55**
[c]7	DTBP	CuI	toluene	/	110	32
[d]8	DTBP	CuI	toluene	/	110	38
9	/	CuI	toluene	/	110	0

[a]Reaction conditions: 1a (0.2 mmol), Oxidant (0.6 mmol), CuI (0.02 mmol) in 1ml toluene at 110 ^{0}C for 8 h. [b]Isolated yield. [c]DTBP (0.4 mmol). [d]DTBP (0.8 mmol).

Table S3. Screening of T[a, b]

Entry	Oxidant (3 eq)	Cu (10 mol%)	Solvent	Base(2 eq)	T (^{0}C)	Yield (%)[b]
1	DTBP	CuI	toluene	/	50	0
2	DTBP	CuI	toluene	/	70	0
3	DTBP	CuI	toluene	/	90	22
4	**DTBP**	**CuI**	**toluene**	**/**	**130**	**72**
5	DTBP	CuI	toluene	/	140	68

[a]Reaction conditions: 1a (0.2 mmol), DTBP (0.6 mmol), CuI (0.02 mmol) in 1ml toluene at T for 8 h. [b]Isolated yield.

Table S4. screening of Cu salt[a, b]

Entry	Oxidant (3 eq)	Cu (10 mol%)	Solvent	Base(2 eq)	T (^{0}C)	Yield (%)[b]
1	DTBP	CuBr	toluene	/	130	20
2	DTBP	CuCl	toluene	/	130	16
3	**DTBP**	**$Cu(CH_3CN)_4PF_6$**	**toluene**	**/**	**130**	**85**
4	DTBP	CuSCN	toluene	/	130	34
5	DTBP	$Cu(OAc)_2.H_20$	toluene	/	130	26
6	DTBP	$Cu(OTf)_2$	toluene	/	130	68
7	DTBP	$CuBr_2$	toluene	/	130	56
8	DTBP	$Cu(acac)_2$	toluene	/	130	12
9	DTBP	$CuS0_4.5H_2O$	toluene	/	130	11
10	DTBP	CuO	toluene	/	130	＜5
[c]11	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	/	130	45
[d]12	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	/	130	68
13	DTBP	/	toluene	/	130	68

[a]Reaction conditions: 1a (0.2 mmol), DTBP (0.6 mmol), Cu salt (0.02 mmol) in 1ml toluene at 130 ^{0}C for 8 h. [b]Isolated yield. [c]$Cu(CH_3CN)_4PF_6$ (0.01 mmol). [d]$Cu(CH_3CN)_4PF_6$ (0.04 mmol).

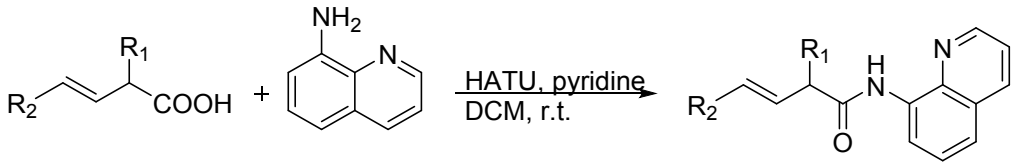
Table S5. Screening of base[a, b]

Entry	Oxidant (3 eq)	Cu (10 mol%)	Solvent	Base(2 eq)	T (^{0}C)	Yield (%)[b]
1	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	K_2CO_3	130	20
2	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	Na_2CO_3	130	14
3	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	NaOAc	130	12
4	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	Na_2HPO_4	130	32
5	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	DBU	130	0

6	DTBP	$Cu(CH_3CN)_4PF_6$	toluene	Et_3N	130	0

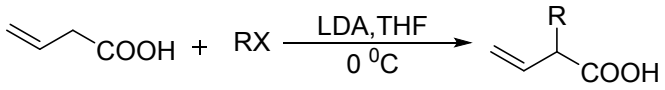
[a]Reaction conditions: 1a (0.2 mmol), DTBP (0.6 mmol), $Cu(CH_3CN)_4PF_6$ (0.02 mmol) in 1ml toluene at 130 ^{0}C for 8 h. [b]Isolated yield.

4. a).General procedure for substrates 1



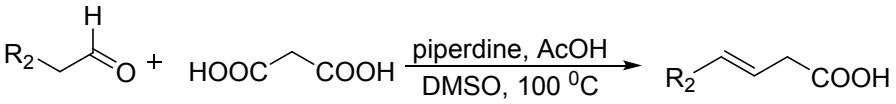
Vinyl acetic acid (12 mmol) was charged into a 250 mL RB flask containing 30 mL DCM. 8-Aminoquinoline (1.44 g, 10 mmol), pyridine (2.6 mL, 20 mmol), and HATU (4.94 g, 13 mmol) were added sequentially, and the reaction was stirred at ambient temperature for 16 h. The deep brown solution was diluted with EtOAc (200 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (10–15% EtOAc in Hexanes) to afford **1a** as a yellow oil[1].

b).General procedure for α-substituted vinylacetic acids



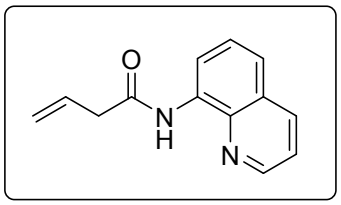
A solution of commercial LDA 2 M (11.8 mL, 23.5 mmol) in THF was cooled to ice-water temperature and a solution of 3-butenoic acid (1 mL, 11.77 mmol) in 10 mL of THF was added slowly over a period of 15 min. The resulting mixture was stirred at the same temperature for 45 min to obtain a deep yellow solution. A total of 1.1 eq. (12.9 mmol) of the alkylating agent was added, whereupon the reaction mixture immediately turned colorless. After 30 min at the same temperature and 1 h at room temperature, the pH of the solution was adjusted to 2.5 with 10% HCl. The organic phase was separated. The aqueous layer was saturated with solid NaCl and the mixture was extracted with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4 and filtered. Removal of solvents under reduced pressure followed by chromatography on silica gel (10 - 20% ethyl acetate/hexanes) produced the targeted molecules (28%-78% yield)[2].

c).General procedure for γ-substituted vinylacetic acids



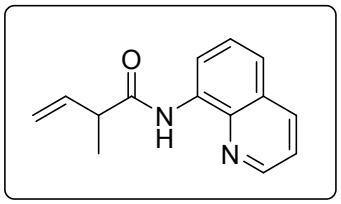
To a stirred solution of aldehyde in DMSO (1M), malonic acid (1.1 equiv), acetic acid (6 μL) and piperidine (10 μL) were added in one portion. The mixture was heated at 100 ºC for 8 h and then poured into brine. After extraction with ethyl acetate for several times, the organic layer was dried over Na_2SO_4, and concentrated. Crude material was purified by column chromatography to give the target carboxylic acid (56%-82% yield)[3].

N-(quinolin-8-yl)but-3-enamide(1a):



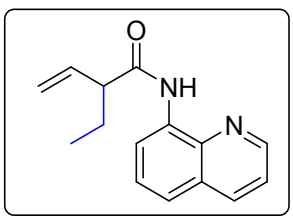
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.90 (s, 1H), 8.78 – 8.60 (m, 2H), 8.02 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.46 – 7.36 (m, 2H), 7.33 (dd, *J* = 8.2, 4.0 Hz, 1H), 6.16 – 6.01 (m, 1H), 5.37 – 5.24 (m, 2H), 3.31 – 3.29 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 168.7, 147.7, 137.9, 135.7, 133.8, 130.5, 127.4, 126.8, 121.1, 121.0, 119.5, 115.9, 42.6.

2-methyl-N-(quinolin-8-yl)but-3-enamide(1b):



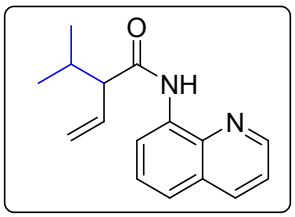
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.03 (s, 1H), 8.81 – 8.70 (m, 2H), 8.13 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.54 – 7.46 (m, 2H), 7.42 (dd, *J* = 8.2, 4.0 Hz, 1H), 6.15 – 6.06 (m, 1H), 5.22 – 5.15 (m, 2H), 3.32 – 3.40 (m, 1H), 1.45 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.0, 147.7, 138.1, 137.5, 135.8, 134.0, 127.4, 126.9, 121.1, 121.0, 116.8, 115.8, 46.5, 16.5. **HRMS(ESI-TOF):** $[M+H]^+$ m/z calcd for $C_{14}H_{15}N_2O^+$: 227.1184, found: 227.1183.

2-ethyl-N-(quinolin-8-yl)but-3-enamide(1c):



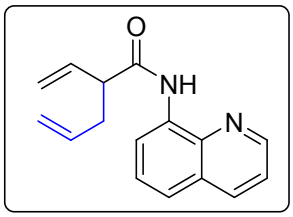
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.97 (s, 1H), 8.80 – 8.72 (m, 2H), 8.09 (dd, J = 8.2, 1.6 Hz, 1H), 7.52 – 7.42 (m, 2H), 7.39 (dd, J = 8.2, 4.0 Hz, 1H), 6.06 – 5.57 (m, 1H), 5.37 – 5.26 (m, 2H), 3.09 (dd, J = 15.2, 7.6 Hz, 1H), 2.08 – 1.98 (m, 1H), 1.72 (dt, J = 13.6, 7.6 Hz, 1H), 1.00 (t, J = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.1, 148.2, 138.5, 136.8, 136.3, 134.5, 127.9, 127.3, 121.6, 121.5, 118.2, 116.4, 76.8, 55.1, 25.1, 11.8. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{15}H_{16}N_2ONa^+$: 263.1160, found: 263.1167.

2-isopropyl-N-(quinolin-8-yl)but-3-enamide(1d):



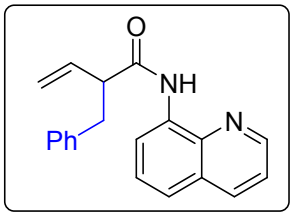
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.92 (s, 1H), 8.82 – 8.78 (m, 2H), 8.11 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.53 – 7.44 (m, 2H), 7.41 (dd, *J* = 8.2, 4.0 Hz, 1H), 6.09 – 6.00 (m, 1H), 5.33 – 5.27 (m, 2H), 2.88 – 2.84 (m, 1H), 2.31 – 2.25 (m, 1H), 1.04 (d, *J* = 6.8 Hz, 3H), 0.99 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.6, 147.7, 138.0, 135.8, 135.3, 134.0, 127.4, 126.9, 121.1, 120.9, 118.3, 115.9, 60.9, 29.8, 20.5, 19.2. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{16}H_{18}N_2ONa^+$: 277.1317, found: 277.1323.

N-(quinolin-8-yl)-2-vinylpent-4-enamide(1e):



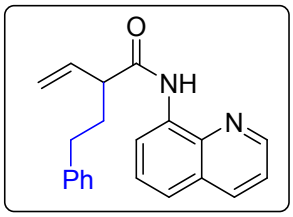
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.00 (s, 1H), 8.80 – 8.72 (m, 2H), 8.08 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.52 – 7.42 (m, 2H), 7.39 (dd, *J* = 8.4, 4.0 Hz, 1H), 6.06 – 5.99 (m, 1H), 5.88 – 5.80 (m, 1H), 5.39 – 5.31 (m, 2H), 5.20 – 5.12 (m, 2H), 3.28 (dd, *J* = 15.2, 7.6 Hz, 1H), 2.76 – 2.68 (m, 1H), 2.50 – 2.44 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.2, 148.2, 138.5, 136.4, 136.3, 135.4, 134.4, 128.5, 127.9, 127.3, 121.6, 118.5, 117.1, 116.4, 53.0, 36.2. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{16}H_{17}N_2O^+$: 253.1341, found: 253.1340.

2-benzyl-N-(quinolin-8-yl)but-3-enamide(1f):



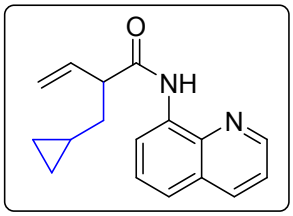
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.96 (s, 1H), 8.82 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.75 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.11 – 8.05 (m, 1H), 7.54 – 7.50 (m, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.41 – 7.36 (m, 1H), 7.31 – 7.25 (m, 4H), 7.18 (m, 1H), 6.13 – 6.04 (m, 1H), 5.32 – 5.24 (m, 2H), 3.53 (dd, *J* = 15.2, 7.6 Hz, 1H), 3.42 (dd, *J* = 13.6, 6.8 Hz, 1H), 3.01 (dd, *J* = 13.6, 7.6 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 170.8, 147.7, 138.7, 138.0, 135.8, 135.8, 133.9, 128.8, 127.9, 127.4, 126.9, 125.8, 121.1, 121.1, 118.2, 115.96, 54.7, 37.7. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{20}H_{18}N_2ONa^+$: 325.1317, found: 325.1313.

2-phenethyl-N-(quinolin-8-yl)but-3-enamide(1g):



^{1}H NMR (400 MHz, $CDCl_3$) δ 10.07 (s, 1H), 8.90 – 8.83 (m, 2H), 8.18 (dd, J = 8.4, 1.6 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.48 (dd, J = 8.4, 4.0 Hz, 1H), 7.40 – 7.34 (m, 2H), 7.33 – 7.24 (m, 3H), 6.19 – 6.10 (m, 1H), 5.44 (t, J = 13.6 Hz, 2H), 3.29 (dd, J = 15.2, 7.6 Hz, 1H), 2.84 – 2.76 (m, 2H), 2.52 – 2.42 (m, 1H), 2.11 (dd, J = 14.8, 8.0 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.3, 147.8, 141.1, 138.1, 136.3, 135.8, 134.0, 128.1, 127.9, 127.5, 126.9, 125.5, 121.2, 118.1, 116.0, 52.1, 32.9, 32.8. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1670.

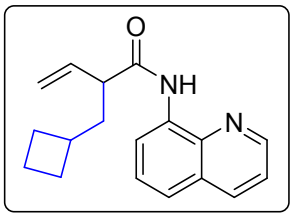
2-(cyclopropylmethyl)-N-(quinolin-8-yl)but-3-enamide(1h):



^{1}H NMR (400 MHz, $CDCl_3$) δ 9.99 (s, 1H), 8.89 – 8.72 (m, 2H), 8.05 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.50 –

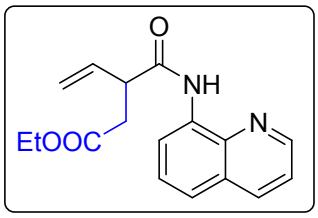
7.42 (m, 2H), 7.36 (dd, *J* = 8.4, 4.0 Hz, 1H), 6.12 – 6.03 (m, 1H), 5.37 – 5.25 (m, 2H), 3.30 (dd, *J* = 15.6, 7.6 Hz, 1H), 1.82 (dt, *J* = 14.4, 7.2 Hz, 1H), 1.66 (dt, *J* = 14.0, 6.8 Hz, 1H), 0.85 – 0.72 (m, 1H), 0.51 – 0.34 (m, 2H), 0.17 – 0.06 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.0, 148.2, 138.5, 137.1, 136.2, 134.5, 127.9, 127.3, 121.5, 121.5, 117.7, 116.3, 53.9, 37.3, 9.1, 4.8, 4.7. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{17}H_{18}N_2ONa^+$: 289.1317, found: 289.1323.

2-(cyclobutylmethyl)-N-(quinolin-8-yl)but-3-enamide(1i):



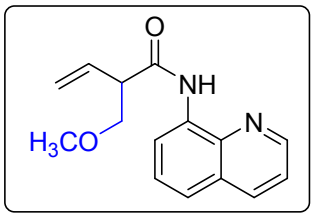
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.93 (s, 1H), 8.82 – 8.69 (m, 2H), 8.04 (dd, *J* = 8.8, 3.6 Hz, 1H), 7.51 – 7.42 (m, 2H), 7.34 (dd, *J* = 8.0, 4.0 Hz, 1H), 6.05 – 5.92 (m, 1H), 5.46 – 5.10 (m, 2H), 3.10 (m, 1H), 2.38 (dt, *J* = 16.0, 8.0Hz, 1H), 2.11 – 1.96 (m, 3H), 1.85 – 1.59 (m, 5H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.0, 148.2, 138.5, 137.1, 136.2, 134.5, 127.8, 127.3, 121.5, 121.5, 117.6, 116.3, 51.7, 39.2, 33.9, 28.5, 28.2, 18.5. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{18}H_{20}N_2ONa^+$: 303.1473, found: 303.1479.

ethyl 3-(quinolin-8-ylcarbamoyl)pent-4-enoate(1j):



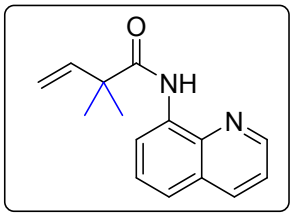
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.09 (s, 1H), 8.77 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.73 (dd, *J* = 7.2, 2.0 Hz, 1H), 8.11 – 8.07 (m, 1H), 7.50 – 7.43 (m, 2H), 7.39 (dd, *J* = 8.4, 4.0 Hz, 1H), 6.08 – 5.99 (m, 1H), 5.48 – 5.44 (m, 1.0 Hz, 1H), 5.34 (dd, *J* = 10.0, 0.4 Hz, 1H), 4.13 (q, *J* = 7.2 Hz, 2H), 3.74 (dd, *J* = 8.4, 7.2 Hz, 1H), 3.06 (dd, *J* = 16.4, 7.6 Hz, 1H), 2.64 (dd, *J* = 16.4, 6.4 Hz, 1H), 1.22 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.2, 169.9, 147.8, 138.0, 135.7, 134.8, 133.9, 127.4, 126.8, 121.2, 121.1, 118.9, 115.9, 60.2, 48.3, 35.6, 13.7.

2-(methoxymethyl)-N-(quinolin-8-yl)but-3-enamide(1k):



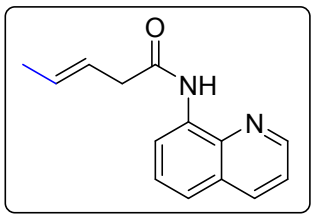
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.30 (s, 1H), 8.76 (dd, *J* = 7.6, 1.6 Hz, 1H), 8.69 (dd, *J* = 4.0, 1.6 Hz, 1H), 7.98 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.44 – 7.40 (m, 1H), 7.36 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.29 (dd, *J* = 8.0, 4.0 Hz, 1H), 6.09 – 6.01 (m, 1H), 5.39 – 5.29 (m, 2H), 3.80 (dd, *J* = 9.2, 7.2 Hz, 1H), 3.66 (dd, *J* = 9.2, 5.2 Hz, 1H), 3.48 – 3.42 (m, 1H), 3.38 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 170.2, 148.1, 138.5, 136.1, 134.5, 133.6, 127.8, 127.2, 121.6, 121.5, 119.3, 116.5, 73.1, 59.1, 53.1.

2,2-dimethyl-N-(quinolin-8-yl)but-3-enamide(1l):



^{1}H NMR (400 MHz, $CDCl_3$) δ 10.24 (s, 1H), 8.84 – 8.72 (m, 2H), 8.05 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.50 – 7.38 (m, 2H), 7.35 (dd, *J* = 8.4, 4.0 Hz, 1H), 6.23 (dd, *J* = 17.6, 10.4 Hz, 1H), 5.48 – 5.33 (m, 2H), 1.48 (s, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 174.7, 148.3, 142.9, 138.8, 136.2, 134.7, 127.9, 127.3, 121.5, 121.4, 116.2, 115.0, 46.8, 24.9. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{15}H_{16}N_2ONa^+$: 263.1160, found: 263.1168.

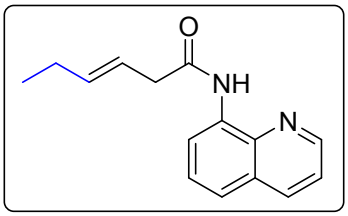
N-(quinolin-8-yl)pent-3-enamide(1m):



^{1}H NMR (400 MHz, $CDCl_3$) δ 9.95 (s, 1H), 8.76 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.70 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.00 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.45 – 7.41 (m, 1H), 7.37 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.31 (dd, *J* = 8.4, 4.0 Hz, 1H), 5.78 – 5.69 (m, 2H), 3.22 (dd, *J* = 4.0, 2.0 Hz, 2H), 1.78 (d, 3H). **^{13}C NMR (101**

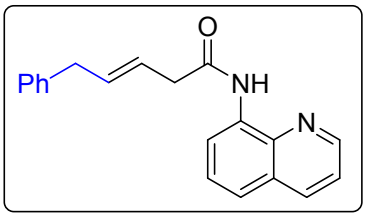
MHz, $CDCl_3$) δ 169.4, 147.6, 137.9, 135.6, 133.9, 130.6, 127.3, 126.7, 123.0, 120.9, 120.9, 115.7, 41.5, 17.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{14}H_{14}N_2ONa^+$: 249.1004, found: 249.0997.

N-(quinolin-8-yl)hex-3-enamide(1n):



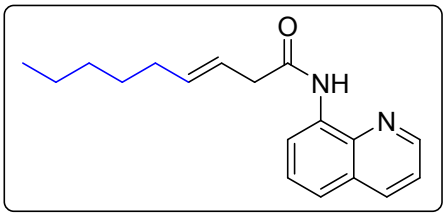
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.06 (s, 1H), 8.79 – 8.72 (m, 2H), 8.09 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.52 – 7.46 (m, 1H), 7.44 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.39 (dd, *J* = 8.4, 4.0 Hz, 1H), 5.84 (dd, *J* = 14.0, 7.6 Hz, 1H), 5.76 – 5.69 (m, 1H), 3.25 (dd, *J* = 7.2, 0.8 Hz, 2H), 2.22 – 2.13 (m, 2H), 1.11 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 170.0, 148.1, 138.7, 138.5, 136.2, 134.5, 127.9, 127.3, 121.5, 121.5, 121.8, 116.3, 42.1, 25.8, 13.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{15}H_{16}N_2ONa^+$: 263.1160, found: 263.1151.

5-phenyl-N-(quinolin-8-yl)pent-3-enamide(1o):



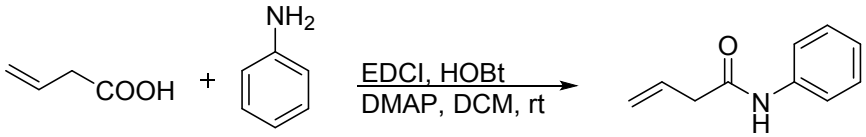
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.06 (s, 1H), 8.83 (dd, *J* = 7.6, 1.6 Hz, 1H), 8.76 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.10 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.54 – 7.49 (m, 1H), 7.47 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.43 – 7.39 (m, 1H), 7.33 – 7.31 (m, 4H), 7.27 – 7.21 (m, 1H), 6.08 – 5.93 (m, 1H), 5.88 – 5.74 (m, 1H), 3.52 (d, *J* = 6.8 Hz, 2H), 3.32 (dd, *J* = 7.2, 0.8 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.7, 148.2, 139.9, 138.5, 136.3, 135.4, 134.5, 128.8, 128.5, 128.5, 127.9, 127.4, 126.2, 123.8, 121.6, 116.4, 42.1, 39.1. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{20}H_{18}N_2ONa^+$: 325.1317, found: 325.1318.

N-(quinolin-8-yl)non-3-enamide(1p):

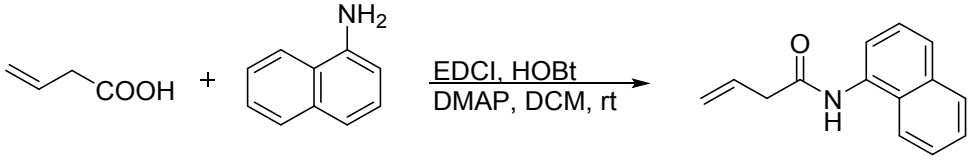


^{1}H NMR (400 MHz, $CDCl_3$) δ 10.03 (s, 1H), 8.76 (dd, *J* = 7.2, 1.6 Hz, 1H), 8.72 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.06 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.49 – 7.44 (m, 1H), 7.42 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.37 (dd, *J* = 8.4, 4.0 Hz, 1H), 5.85 – 5.66 (m, 2H), 3.29 – 3.16 (m, 2H), 2.12 (dd, *J* = 14.0, 6.8 Hz, 2H), 1.48 (dd, *J* = 10.0, 5.2 Hz, 2H), 1.36 – 1.27 (m, 4H), 0.90 – 0.85 (m, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.6, 147.6, 138.0, 136.7, 135.7, 133.9, 127.4, 126.8, 121.7, 121.0, 120.9, 115.8, 41.7, 32.2, 30.9, 28.5, 22.1, 13.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{18}H_{22}N_2ONa^+$: 305.1630, found: 305.1624.

5. Procedure for other directing group protected vinyl acetic acid [3]

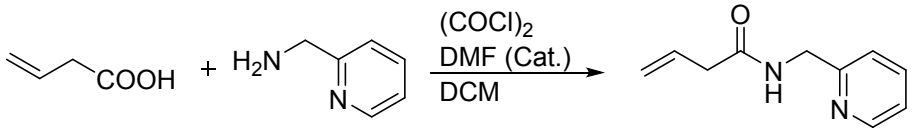


N-phenylbut-3-enamide: Vinyl acetic acid (430 mg, 5 mmol) was charged into a 50 mL RB flask containing 20 mL DCM at 0 °C. Aniline (418 mg, 4.5 mmol), EDCl (958 mg, 5 mmol), HOBt (765 mg, 5 mmol), and DMAP (56 mg, 0.45 mmol) were added sequentially, and the reaction was stirred at 0 °C for 16 h. The solution was diluted with DCM (100 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (EA: PE=1: 5) to afford 594 mg (82%) yield of product as a white solid. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.18 (s, 1H), 7.55 (d, *J* = 7.6 Hz, 2H), 7.32 – 7.28 (m, 2H), 7.12 (t, *J* = 7.6 Hz, 1H), 6.09 – 5.95 (m, 1H), 5.32 – 5.22 (m, 2H), 3.20 – 3.14 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.5, 137.9, 131.2, 128.9, 124.4, 120.2, 119.9, 42.5. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{10}H_{12}NO^+$: 162.0910, found: 162.0930.

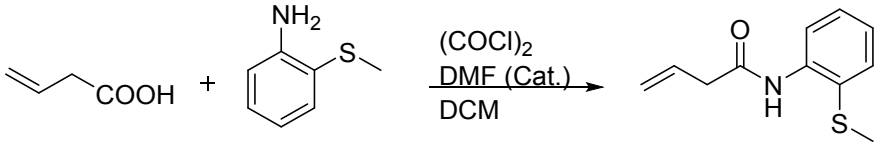


N-(naphthalen-1-yl)but-3-enamide: Vinyl acetic acid (430 mg, 5 mmol) was charged into a 50 mL RB flask containing 20 mL DCM at 0 °C. Naphthalen-1-amine (643 mg, 4.5 mmol), EDCl (958 mg, 5 mmol), HOBt (765 mg, 5 mmol), and DMAP (56 mg, 0.45 mmol) were added sequentially, and the reaction was stirred at 0 °C for 16 h. The solution was diluted with DCM (100 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (EA: PE=1: 5)

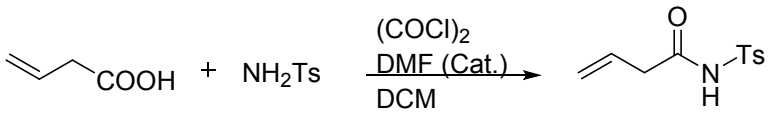
to afford 807 mg (85%) yield of product as a white solid. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.94 (d, *J* = 7.6 Hz, 1H), 7.89 – 7.81 (m, 2H), 7.78 – 7.74 (m, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.52 – 7.43 (m, 3H), 6.25 – 6.08 (m, 1H), 5.44 (d, *J* = 12.0 Hz, 2H), 3.31 (d, *J* = 7.2 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.1, 134.1, 132.0, 131.4, 128.8, 127.1, 126.4, 126.0, 125.8, 125.8, 121.1, 120.7, 120.4, 42.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{14}H_{13}NONa^+$: 234.0905, found: 234.0895.



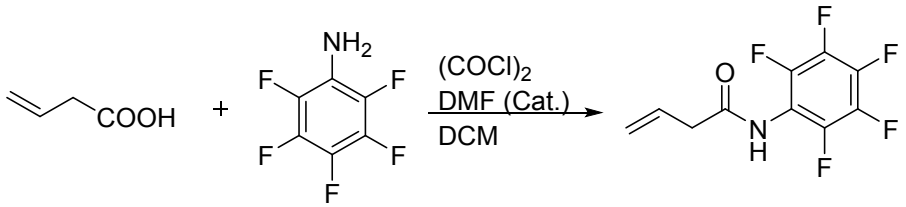
N-(pyridin-2-ylmethyl)but-3-enamide: Vinyl acetic acid (430mg, 5 mmol) was charged into a 50 mL RB flask containing 20 mL DCM at 0 °C. Oxalyl chloride (401mg, 4.5 mmol) was added dropwise to the solution, followed by 15 drops of N,N-dimethylformamide. The reaction was allowed to warm to room temperature and was stirred for 3 h. The reaction was then cooled to 0 °C, and 2-(Aminomethyl)pyridine (486 mg, 4.5 mmol) was added. The reaction was allowed to warm to room temperature and was stirred for 3 h. The solution was diluted with DCM (100 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (EA: PE=1: 5) to afford 633 mg (80%) yield of product as a yellow liquid. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.39 (d, *J* = 4.8 Hz, 1H), 7.58 – 7.52 (m, 1H), 7.25 (s, 1H), 7.16 (d, *J* = 7.6 Hz, 1H), 7.08 (dd, *J* = 7.2, 5.2 Hz, 1H), 5.92 – 5.82 (m, 1H), 5.15 – 5.05 (m, 2H), 4.43 (d, *J* = 5.2 Hz, 2H), 2.98 (d, *J* = 7.2 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 170.9, 156.6, 148.8, 136.9, 131.3, 122.3, 122.0, 119.3, 44.5, 41.4. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{10}H_{12}N_2ONa^+$: 199.0857, found: 199.0847.



N-(2-(methylthio)phenyl)but-3-enamide: Vinyl acetic acid (430mg, 5 mmol) was charged into a 50 mL RB flask containing 20 mL DCM at 0 °C. Oxalyl chloride (401mg, 4.5 mmol) was added dropwise to the solution, followed by 15 drops of N,N-dimethylformamide. The reaction was allowed to warm to room temperature and was stirred for 3 h. The reaction was then cooled to 0 °C, and 2-(methylthio)aniline (625 mg, 4.5 mmol) was added. The reaction was allowed to warm to room temperature and was stirred for 3 h. The solution was diluted with DCM (100 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (EA: PE=1: 5) to afford 670 mg (72%) yield of product as a colorless liquid. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.48 (s, 1H), 8.26 (d, *J* = 8.0 Hz, 1H), 7.39 (d, *J* = 7.6 Hz, 1H), 7.23 – 7.20 (m, 1H), 7.02 – 6.98 (m, 1H), 6.00 (dt, *J* = 14.8, 8.4 Hz, 1H), 5.36 – 5.25 (m, 2H), 3.18 (d, *J* = 7.2 Hz, 2H), 2.28 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 168.7, 138.1, 132.7, 130.8, 128.7, 125.7, 124.5, 120.7, 120.6, 43.0, 18.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{11}H_{13}NOSNa^+$: 230.0616, found: 230.0596.



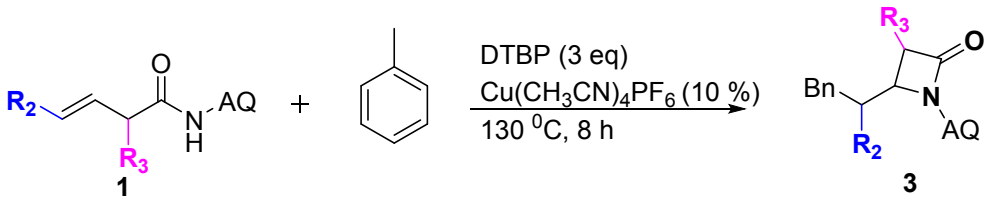
N-tosylbut-3-enamide: Vinyl acetic acid (430mg, 5 mmol) was charged into a 50 mL RB flask containing 20 mL DCM at 0 °C. Oxalyl chloride (401mg, 4.5 mmol) was added dropwise to the solution, followed by 15 drops of N,N-dimethylformamide. The reaction was allowed to warm to room temperature and was stirred for 3 h. The reaction was then cooled to 0 °C, and 4-methylbenzenesulfonamide (769 mg, 4.5 mmol) was added. The reaction was allowed to warm to room temperature and was stirred for 3 h. The solution was diluted with DCM (100 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (EA: PE=1: 5) to afford 882 mg (82%) yield of product as a white solid. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.10 (s, 1H), 7.94 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.4 Hz, 2H), 5.85 – 5.75 (m, 1H), 5.23 – 5.12 (m, 2H), 3.05 (dd, *J* = 6.8, 1.2 Hz, 2H), 2.43 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.1, 145.3, 135.4, 129.7, 128.8, 128.4, 120.8, 41.1, 21.7. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{11}H_{13}NO_3SNa^+$: 262.0514, found: 262.0527.



N-(perfluorophenyl)but-3-enamide: Vinyl acetic acid (430mg, 5 mmol) was charged into a 50 mL RB flask containing 20 mL DCM at 0 °C. Oxalyl chloride (401mg, 4.5 mmol) was added dropwise to the solution, followed by 15 drops of N,N-dimethylformamide. The reaction was allowed to warm to room temperature and was stirred for 3 h. The reaction was then cooled to 0 °C, and 2,3,4,5,6-pentafluoroaniline (823 mg, 4.5 mmol) was added. The reaction was allowed to warm to room temperature and was stirred for 3 h. The solution was diluted with DCM (100 mL), washed with sat. $NaHCO_3$ (100 mL, ×2) and brine (100 mL, ×1), and purified by column chromatography (EA: PE=1: 5) to afford 700 mg (62%) yield of product as a white solid. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.62 (s, 1H), 6.14 – 5.82 (m, 1H), 5.43 – 5.12 (m, 2H), 3.21 (d, *J* = 7.2 Hz, 2H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -144.4 – -145.7 (m, 2F), -156.4 (t, *J* = 21.2 Hz, 1F), -162.5 (t, *J* = 19.2 Hz, 2F). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.7, 144.2 (dt, *J* = 13.4, 8.9 Hz), 141.74 (dt, *J* = 8.1, 6.4 Hz), 141.6 – 141.2 (m), 139.5 – 138.4 (m), 136.7 – 136.2 (m), 130.1, 120.5, 111.7 (t, *J* = 14.9 Hz), 40.8.

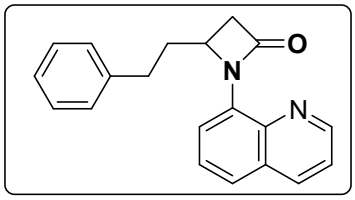
6. General procedures for β-lactam.

Synthesis of 4-phenethyl-1-(quinolin-8-yl)azetidin-2-one derivatives



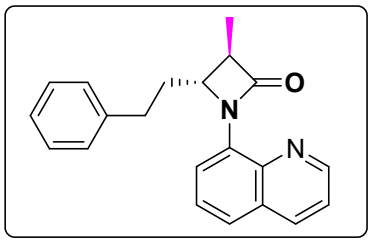
A mixture of **1** (0.2 mmol), DTBP (110 μL, 0.6 mmol), $Cu(CH_3CN)_4PF_6$ (7.4 mg, 0.02 mmol), and toluene(1 mL) in a 15 mL glass vial sealed under air atmosphere was heated at 130 ^{0}C for 8 hours. The reaction mixture cooled to room temperature and concentrated in vacuo. The resulting residue was purified by column chromatography (PE / EA = 20 / 1–5 / 1) on silica gel to give the product **3**.

4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3a):



yellow oil, 51 mg (85% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.78 (dd, J = 4.0, 1.6 Hz, 1H), 8.27 (dd, J = 7.6, 1.2 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.57 (dd, J = 8.0, 1.2 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.40 – 7.37 (m, 1H), 7.29 – 7.21 (m, 2H), 7.20 – 7.15 (m, 1H), 7.14 – 7.10 (m, 2H), 5.20 (ddd, J = 12.0, 5.6, 2.8 Hz, 1H), 3.32 (dd, J = 15.2, 5.2 Hz, 1H), 2.82 (dd, J = 15.2, 2.4 Hz, 1H), 2.74 – 2.62 (m, 2H), 2.37 (tdd, J = 9.2, 7.2, 3.2 Hz, 1H), 1.84 (dtd, J = 13.2, 8.6, 6.4 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.5, 148.9, 141.1, 140.6, 136.0, 133.6, 129.0, 128.4, 128.3, 126.7, 126.0, 124.0, 121.6, 121.3, 56.1, 43.1, 35.2, 31.6. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{19}N_2O^+$: 303.1497, found: 303.1512.

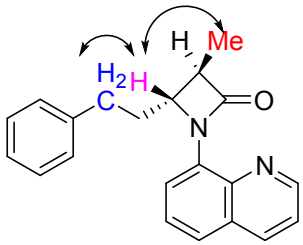
3-methyl-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3b):

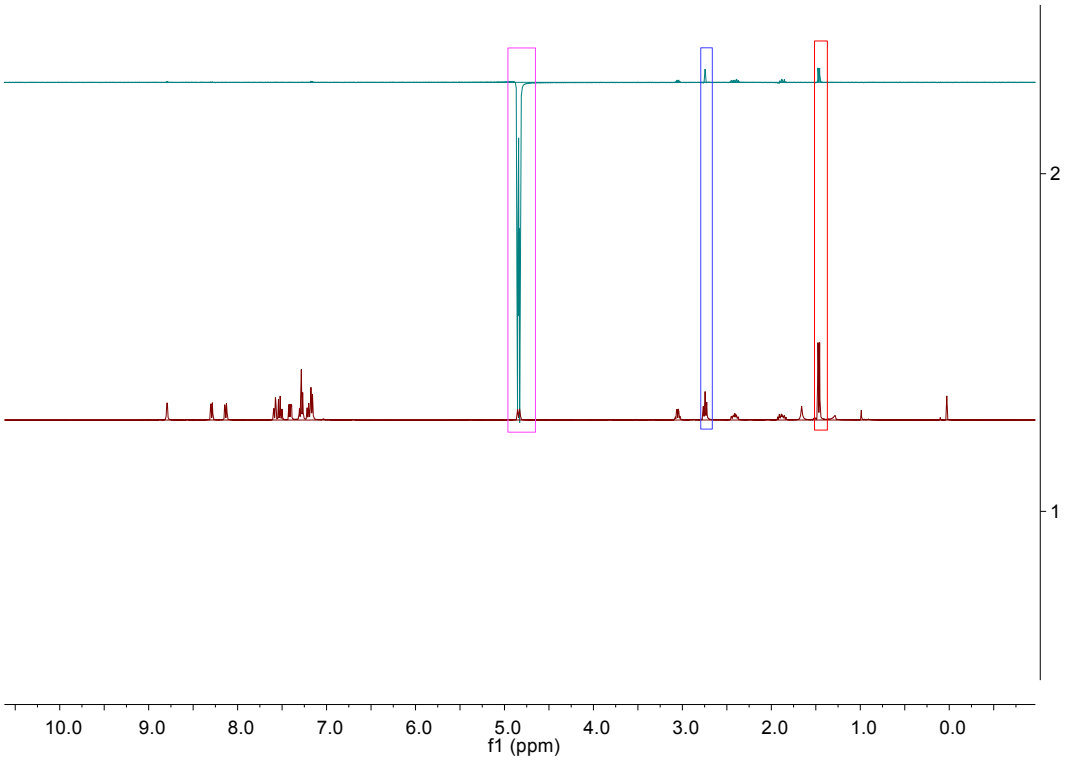


yellow oil, 50 mg (80% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.77 (dd, J = 4.0, 1.6 Hz, 1H), 8.27 (dd, J = 7.2, 1.2 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.56 (dd, J = 8.0, 1.2 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.40 – 7.37 (m, 1H), 7.28 – 7.25 (m, 2H), 7.22 – 7.12 (m, 3H), 4.84 – 4.80 (m, 1H), 3.03 (qd, J = 7.2, 2.0 Hz, 1H), 2.72 (m, 2H), 2.44 – 2.32 (m, 1H), 1.95 – 1.80 (m, 1H), 1.44 (d, J = 7.2 Hz, 3H). **^{13}C**

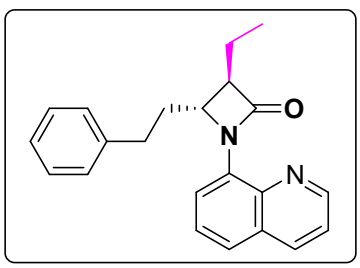
NMR (101 MHz, $CDCl_3$) δ 169.5, 148.4, 140.7, 140.2, 135.5, 133.1, 128.5, 127.9, 127.9, 126.2, 125.6, 123.3, 121.2, 120.8, 63.9, 50.7, 34.4, 31.3, 13.3. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1645.

7. NOE of 3b



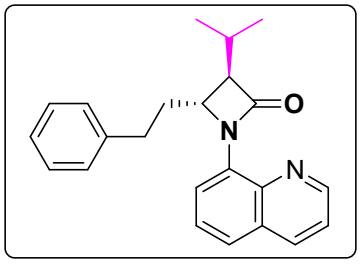


3-ethyl-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3c):



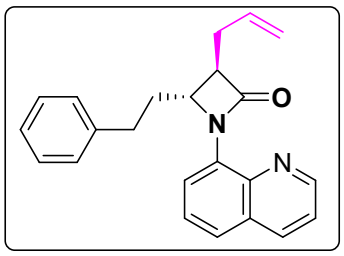
yellow oil, 51 mg (77% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.79 (dd, J = 4.0, 1.6 Hz, 1H), 8.30 (dd, J = 7.2, 0.8 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.56 (d, J = 8.0 Hz, 1H), 7.52 – 7.48 (m, 1H), 7.40 – 7.37 (m, 1H), 7.27 – 7.23 (m, 2H), 7.20 – 7.11 (m, 3H), 4.95 – 4.91 (m, 1H), 3.03 – 2.99 (m, 1H), 2.71 (dd, J = 8.4, 6.0 Hz, 2H), 2.40 – 2.28 (m, 1H), 2.06 – 1.93 (m, 1H), 1.91 – 1.80 (m, 2H), 1.15 (t, J = 7.4 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.5, 148.8, 141.3, 140.6, 135.9, 133.6, 129.0, 128.4, 128.2, 126.7, 125.9, 123.8, 121.6, 121.3, 62.5, 58.0, 35.0, 31.8, 22.2, 11.9. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{22}H_{22}N_2ONa^+$: 353.1630, found: 353.1632.

3-isopropyl-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3d):



yellow oil, 48 mg (70% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.82 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.32 (dd, *J* = 7.2, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.56 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.40 – 7.37 (m, 1H), 7.26 – 7.22 (m, 2H), 7.18 – 7.10 (m, 3H), 5.05 – 5.00 (m, 1H), 2.91 (dd, *J* = 7.6, 2.0 Hz, 1H), 2.72 – 2.68 (m, 2H), 2.38 – 2.28 (m, 1H), 2.25 – 2.19 (m, 1H), 1.95 – 1.83 (m, 1H), 1.22 (d, *J* = 6.8 Hz, 3H), 1.15 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.0, 148.9, 141.3, 140.8, 135.9, 133.6, 129.0, 128.3, 128.2, 126.7, 125.9, 123.8, 121.6, 121.3, 63.3, 60.7, 35.1, 31.8, 28.5, 20.9, 20.4. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{23}H_{25}N_2O^+$: 345.1967, found: 345.1977.

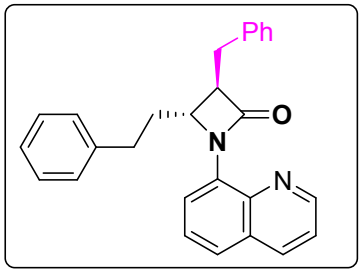
3-allyl-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3e):



yellow oil, 51 mg (74% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.78 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.30 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.57 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.52 – 7.48 (m, 1H), 7.40 – 7.37 (m, 1H), 7.30 – 7.21 (m, 2H), 7.18 (d, *J* = 7.2 Hz, 1H), 7.15 – 7.10 (m, 2H), 6.01 – 5.91 (m, 1H), 5.24 (dd, *J* = 17.2, 1.6 Hz, 1H), 5.15 (d, *J* = 10.0 Hz, 1H), 4.95 (dt, *J* = 9.2, 2.8 Hz, 1H), 3.27 – 2.87 (m, 1H), 2.88 – 2.64 (m, 3H), 2.63 – 2.49 (m, 1H), 2.40 – 2.32 (m, 1H), 1.93 – 1.84 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 168.7, 148.9, 141.3, 140.6, 135.9, 135.0, 133.5, 129.0, 128.4, 128.3, 126.7,

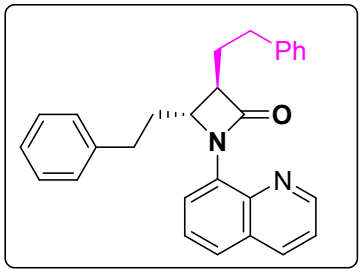
126.0, 123.9, 121.7, 121.3, 117.4, 62.4, 56.0, 34.9, 33.3, 31.8. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{23}H_{23}N_2O^+$: 343.1810, found: 343.1802.

3-benzyl-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3f):



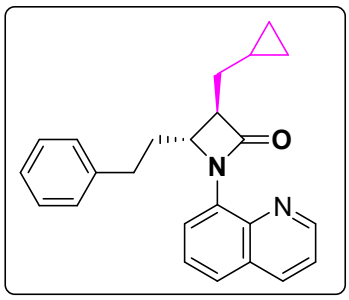
yellow oil, 62 mg (79% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.68 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.20 (dd, *J* = 7.6, 1.6 Hz, 1H), 8.00 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.47 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.43 – 7.37 (m, 1H), 7.33 – 7.22 (m, 5H), 7.20 – 7.13 (m, 1H), 7.11 – 7.06 (m, 2H), 7.06 – 7.00 (m, 1H), 6.85 – 6.74 (m, 2H), 4.91 – 4.87 (m, 1H), 3.32 – 3.13 (m, 2H), 2.94 (dd, *J* = 13.2, 9.2 Hz, 1H), 2.24 – 2.17 (m, 1H), 2.17 – 2.03 (m, 2H), 1.69 – 1.63 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 168.7, 148.9, 141.3, 140.7, 139.2, 136.0, 133.5, 129.0, 128.7, 128.3, 128.1, 126.7, 126.6, 125.8, 124.1, 121.8, 121.3, 62.9, 58.3, 35.3, 34.8, 31.2. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{27}H_{25}N_2O^+$: 393.1967, found: 393.1967.

3,4-diphenethyl-1-(quinolin-8-yl)azetidin-2-one(3g):



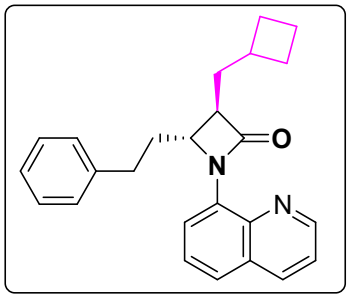
yellow oil, 61 mg (75% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.76 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.24 (dd, *J* = 7.6, 1.6 Hz, 1H), 8.07 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.53 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.50 – 7.42 (m, 1H), 7.37 – 7.34 (m, 1H), 7.26 (d, *J* = 7.2 Hz, 2H), 7.25 – 7.21 (m, 2H), 7.21 (d, *J* = 4.2 Hz, 2H), 7.20 – 7.16 (m, 1H), 7.13 (d, *J* = 7.2 Hz, 1H), 7.08 – 7.04 (m, 2H), 4.99 – 4.89 (m, 1H), 3.03 (td, *J* = 7.6, 2.0 Hz, 1H), 2.94 – 2.75 (m, 2H), 2.65 – 2.61 (m, 2H), 2.35 – 2.18 (m, 2H), 2.15 – 2.03 (m, 1H), 1.89 – 1.75 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.3, 148.9, 141.4, 141.1, 140.7, 136.0, 133.5, 129.0, 128.6, 128.5, 128.5, 128.4, 128.3, 126.7, 126.0, 123.9, 121.7, 121.3, 62.9, 55.8, 34.8, 33.6, 31.7, 30.9. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{28}H_{27}N_2O^+$: 407.2123, found: 407.2133.

3-(cyclopropylmethyl)-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3h):



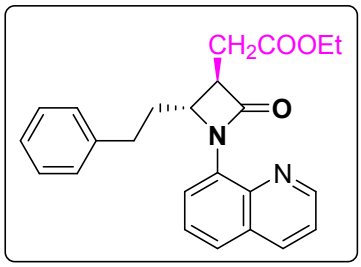
yellow oil, 52 mg (73% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.81 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.30 (dd, *J* = 7.2, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.56 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.39 (m, 1H), 7.27 – 7.24 (m, 2H), 7.20 – 7.11 (m, 3H), 5.05 – 4.96 (m, 1H), 3.15 (ddd, *J* = 8.4, 6.0, 2.0 Hz, 1H), 2.80 – 2.71 (m, 2H), 2.45 – 2.33 (m, 1H), 1.89 (ddd, *J* = 13.2, 6.4, 3.2 Hz, 1H), 1.82 – 1.77 (m, 2H), 0.99 – 0.89 (m, 1H), 0.54 (dd, *J* = 8.0, 1.2 Hz, 2H), 0.24 – 0.16 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.5, 148.9, 141.3, 140.7, 135.9, 133.6, 129.0, 128.4, 128.2, 126.7, 125.9, 123.8, 121.7, 121.3, 62.8, 56.9, 35.1, 34.0, 31.8, 9.3, 5.1, 4.5. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{24}H_{24}N_2ONa^+$: 379.1786, found: 379.1769.

3-(cyclobutylmethyl)-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3i):



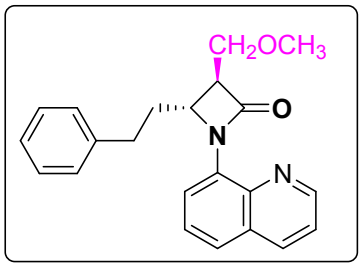
yellow oil, 53 mg (72% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.80 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.28 (dd, *J* = 7.2, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.56 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.40 – 7.37 (m, 1H), 7.27 – 7.23 (m, 2H), 7.19 – 7.11 (m, 3H), 4.97 – 4.88 (m, 1H), 2.97 (ddd, *J* = 8.4, 6.0, 2.0 Hz, 1H), 2.70 – 2.66 (m, 2H), 2.57 (dt, *J* = 15.6, 7.6 Hz, 1H), 2.36 – 2.30 (m, 1H), 2.18 – 2.12 (m, 2H), 2.05 (ddd, *J* = 14.0, 8.4, 6.0 Hz, 1H), 1.96 – 1.90 (m, 2H), 1.89 – 1.83 (m, 2H), 1.76 – 1.68 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.7, 148.9, 141.3, 140.7, 135.9, 133.6, 129.0, 128.4, 128.2, 126.7, 125.9, 123.8, 121.6, 121.3, 62.9, 54.7, 36.3, 35.1, 34.1, 31.6, 28.5, 28.4, 18.4. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{25}H_{27}N_2O^+$: 371.2123, found: 371.2125.

ethyl 2-(2-oxo-4-phenethyl-1-(quinolin-8-yl)azetidin-3-yl)acetate(3j):



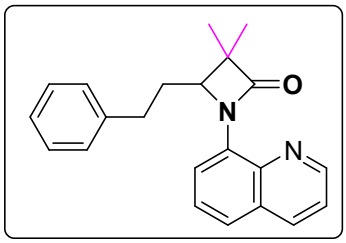
yellow oil, 50 mg (65% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.76 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.24 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.58 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.55 – 7.46 (m, 1H), 7.41 – 7.37 (m, 1H), 7.25 – 7.19 (m, 2H), 7.17 – 7.12 (m, 1H), 7.11 – 7.06 (m, 2H), 5.07 – 4.96 (m, 1H), 4.22 (q, *J* = 7.2 Hz, 2H), 3.47 (ddd, *J* = 10.0, 4.4, 2.4 Hz, 1H), 2.99 (dd, *J* = 16.8, 4.4 Hz, 1H), 2.83 (dd, *J* = 16.8, 10.0 Hz, 1H), 2.77 – 2.67 (m, 2H), 2.39 – 2.31 (m, 1H), 2.04 – 1.92 (m, 1H), 1.29 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.5, 167.6, 149.0, 141.4, 140.8, 136.0, 133.2, 129.0, 128.3, 128.3, 126.7, 125.9, 124.3, 122.0, 121.4, 63.4, 60.9, 51.9, 34.9, 33.7, 31.2, 14.2. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{24}H_{24}N_2O_3Na^+$: 411.1685, found: 411.1675.

3-(methoxymethyl)-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3k):



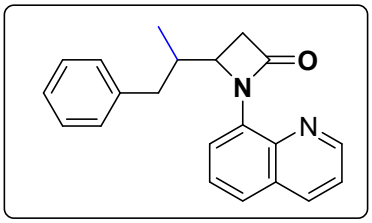
yellow oil, 48 mg (70% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.73 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.28 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.10 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.56 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.52 – 7.46 (m, 1H), 7.37 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.28 – 7.23 (m, 2H), 7.19 – 7.13 (m, 3H), 5.09 (dt, *J* = 9.6, 2.8 Hz, 1H), 3.86 – 3.77 (m, 2H), 3.44 (s, 3H), 3.31 (ddd, *J* = 7.2, 4.8, 2.4 Hz, 1H), 2.76 – 2.70 (m, 2H), 2.44 – 2.33 (m, 1H), 1.93 – 1.82 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.7, 148.9, 141.4, 140.5, 135.9, 133.5, 128.9, 128.4, 128.3, 126.6, 125.9, 123.9, 121.5, 121.3, 70.1, 61.3, 59.2, 57.1, 34.9, 31.5. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{22}H_{22}N_2O_2Na^+$: 369.1579, found: 369.1570.

3,3-dimethyl-4-phenethyl-1-(quinolin-8-yl)azetidin-2-one(3l):



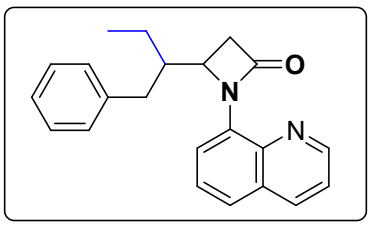
yellow oil, 36 mg (55% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.75 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.17 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.09 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.56 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.54 – 7.44 (m, 1H), 7.37 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.27 – 7.23 (m, 2H), 7.22 – 7.16 (m, 1H), 7.13 – 7.06 (m, 2H), 4.91 (dd, *J* = 10.0, 3.6 Hz, 1H), 2.74 – 2.55 (m, 2H), 2.33 – 2.14 (m, 1H), 1.98 – 1.80 (m, 1H), 1.52 (s, 3H), 1.38 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.8, 148.5, 141.0, 140.6, 135.5, 132.8, 128.6, 127.9, 127.9, 126.2, 125.5, 123.7, 122.2, 120.8, 67.3, 52.6, 32.3, 31.2, 23.0, 16.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{22}H_{22}N_2ONa^+$: 353.1630, found: 353.1643.

4-(1-phenylpropan-2-yl)-1-(quinolin-8-yl)azetidin-2-one(3m):



yellow oil, 25 mg (40% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.86 (dd, J = 4.0, 1.6 Hz, 1H), 8.17 – 8.11 (m, 2H), 7.64 (dd, J = 8.0, 1.2 Hz, 1H), 7.53 – 7.51 (m, 1H), 7.42 (dd, J = 8.4, 4.0 Hz, 1H), 7.10 – 7.07 (m, 3H), 6.81 – 6.75 (m, 2H), 5.24 (td, J = 5.2, 2.8 Hz, 1H), 3.25 (dd, J = 15.2, 5.6 Hz, 1H), 2.96 (dd, J = 15.2, 2.8 Hz, 1H), 2.80 (dd, J = 12.8, 3.2 Hz, 1H), 2.35 – 2.27 (m, 1H), 2.22 (dd, J = 12.8, 10.4 Hz, 1H), 0.82 (d, J = 6.4 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.7, 149.2, 141.5, 140.3, 136.1, 133.9, 129.0, 128.8, 128.1, 126.7, 125.8, 124.7, 122.8, 121.4, 60.4, 39.4, 37.5, 36.8, 15.7. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{21}H_{20}N_2ONa^+$: 339.1473, found: 339.1468.

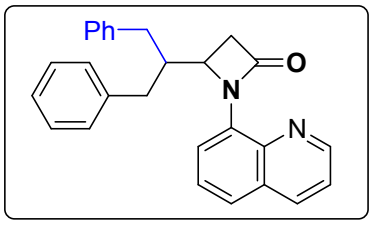
4-(1-phenylbutan-2-yl)-1-(quinolin-8-yl)azetidin-2-one(3n):



yellow oil, 17 mg (25% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.84 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.17 – 8.12 (m, 2H), 7.62 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.50 (dd, *J* = 10.4, 5.6 Hz, 1H), 7.42 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.05 – 7.00 (m, 3H), 6.71 – 6.67 (m, 2H), 5.45 (td, *J* = 5.6, 3.2 Hz, 1H), 3.21 (dd, *J* = 15.2, 5.6 Hz, 1H), 3.00 (dd, *J* = 15.2, 2.8 Hz, 1H), 2.76 (dd, *J* = 13.6, 4.0 Hz, 1H), 2.35 (dd, *J* = 13.6, 9.6 Hz, 1H), 2.22 (ddt, *J* = 12.4, 8.4, 4.0 Hz, 1H), 1.42 (dtd, *J* = 12.0, 7.6, 4.8 Hz, 1H), 1.24 – 1.18 (m, 1H), 0.93 (t, *J* = 7.6 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.2, 148.5, 140.6, 140.1, 135.6, 133.3, 128.5, 128.3,

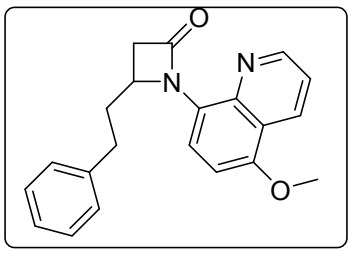
127.6, 126.3, 125.2, 123.8, 121.9, 120.9, 57.7, 41.9, 38.2, 33.6, 23.0, 11.0. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{22}H_{23}N_2O^+$: 331.1810, found: 331.1813.

4-(1,3-diphenylpropan-2-yl)-1-(quinolin-8-yl)azetidin-2-one(3o):

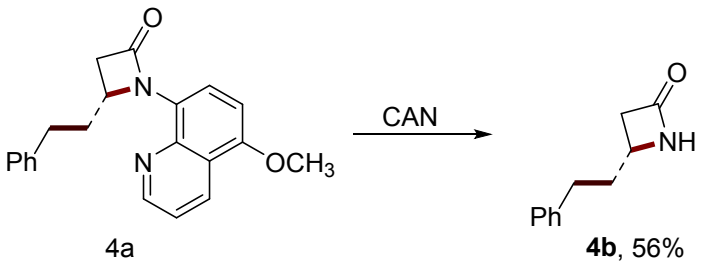


yellow oil, 15 mg (20% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.54 (dd, J = 4.0, 1.6 Hz, 1H), 8.16 – 8.12 (m, 2H), 7.57 (dd, J = 8.2, 1.2 Hz, 1H), 7.49 – 7.44 (m, 1H), 7.37 (dd, J = 8.4, 4.0 Hz, 1H), 7.33 – 7.28 (m, 2H), 7.22 (dd, J = 6.0, 3.6 Hz, 1H), 7.10 (d, J = 6.4 Hz, 2H), 7.06 – 7.00 (m, 3H), 6.71 (dd, J = 7.2, 2.4 Hz, 2H), 5.27 (dt, J = 6.0, 2.8 Hz, 1H), 3.15 (dd, J = 15.2, 5.6 Hz, 1H), 3.01 (dd, J = 15.2, 2.8 Hz, 1H), 2.87 – 2.79 (m, 2H), 2.77 (d, J = 4.0 Hz, 1H), 2.45 – 2.36 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.3, 148.7, 140.6, 140.1, 139.8, 135.9, 133.7, 129.0, 128.8, 128.7 ,128.3, 128.2, 126.8, 126.2, 125.8, 123.9, 121.6, 121.3, 57.9, 42.6, 38.3, 37.3, 34.1. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{27}H_{25}N_2O^+$: 393.1967, found: 393.1972.

1-(5-methoxyquinolin-8-yl)-4-phenethylazetidin-2-one(4a):

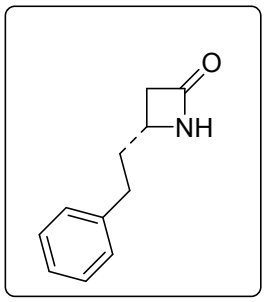


yellow oil, 53 mg (80% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.80 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.55 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 1H), 7.38 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.25 – 7.21 (m, 2H), 7.17 (dt, *J* = 4.4, 1.6 Hz, 1H), 7.10 – 7.08 (m, 2H), 6.82 (d, *J* = 8.4 Hz, 1H), 5.11 – 5.03 (m, 1H), 3.98 (s, 3H), 3.30 (dd, *J* = 14.8, 5.2 Hz, 1H), 2.78 (dd, *J* = 14.8, 2.4 Hz, 1H), 2.69 – 2.62 (m, 2H), 2.30 – 2.21 (m, 1H), 1.84 (ddd, *J* = 6.4, 5.6, 2.0 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.4, 152.5, 149.6, 142.2, 141.2, 130.8, 128.4, 128.3, 126.5, 126.0, 123.0, 120.9, 120.5, 104.1, 55.9, 55.6, 42.8, 35.1, 31.7. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{21}H_{20}N_2O_2Na^+$: 355.1422, found: 355.1421.

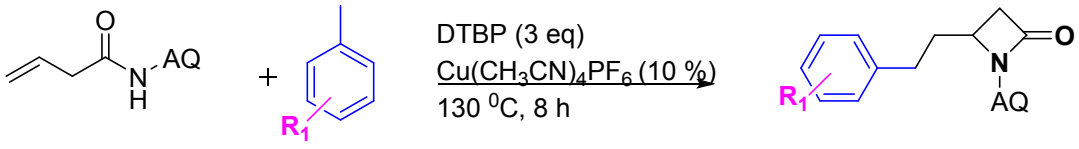


4a **4b**, 56%

3-isopropyl-4-phenethylazetidin-2-one(4b)



To an ice-water cooled solution of **4a** (66.4 mg, 0.2 mmol) in acetonitrile (1.5 mL) and water (0.5 mL) was added ceric ammonium nitrate (328.8 mg, 0.6mmol) in one portion. The reaction was kept in ice-water bath for 1 h. After completion, the reaction was diluted with ethyl acetate (25 mL), washed with saturated sodium thiosulfate (2 × 10 mL) and brine (10 mL), dried over anhydrous Na_2SO_4. Evaporation of the organic solvent and purification by silica gel column chromatography gave the desired product **4b** (19.6 mg, 56%). **^{1}H NMR (400 MHz, $CDCl_3$)** ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 – 7.26 (m, 2H), 7.24 – 7.19 (m, 1H), 7.18 – 7.13 (m, 2H), 6.12 (s, 1H), 3.65 – 3.57 (m, 1H), 3.03 (ddd, J = 14.8, 5.0, 2.2 Hz, 1H), 2.69 – 2.63 (m, 2H), 2.55 (ddd, J = 14.8, 2.3, 1.2 Hz, 1H), 2.02 – 1.92 (m, 2H).**^{13}C NMR (101 MHz, $CDCl_3$)** ^{13}C NMR (101 MHz, $CDCl_3$) δ 168.1, 140.6, 128.6, 128.3, 126.3, 47.8, 43.5, 36.9, 32.9. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{11}H_{13}NONa^+$:198.0895, found:198.0886.

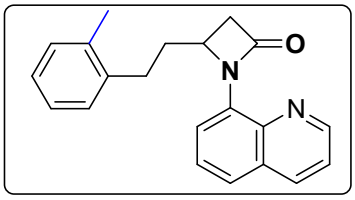


1a **2** **5**

A mixture of **1a** (0.2 mmol, 42 mg, 1.0 equiv), DTBP (110 µL, 0.6 mmol), $Cu(CH_3CN)_4PF_6$ (7.4 mg, 0.02 mmol), and substituted toluene(1 mL) in a 15 mL glass vial sealed under air atmosphere was heated at 130 ^{0}C for 8 hours. The reaction mixture cooled to room temperature and concentrated in

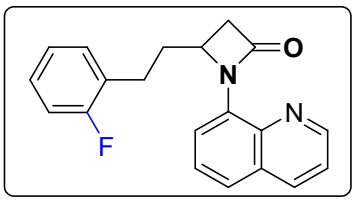
vacuo. The resulting residue was purified by column chromatography (PE / EA = 20 / 1–5 / 1) on silica gel to give the product **5**.

4-(2-methylphenethyl)-1-(quinolin-8-yl)azetidin-2-one(5a):



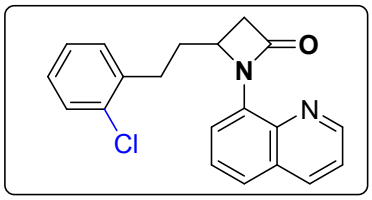
yellow oil, 51 mg (81% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.79 (dd, J = 4.0, 1.6 Hz, 1H), 8.30 (dd, J = 7.6, 1.6 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.57 (dd, J = 8.0, 1.2 Hz, 1H), 7.54 – 7.49 (m, 1H), 7.39 (dd, J = 8.4, 4.0 Hz, 1H), 7.11 – 7.05 (m, 4H), 5.25 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.37 (dd, J = 15.2, 5.2 Hz, 1H), 2.88 (dd, J = 15.2, 2.4 Hz, 1H), 2.68 – 2.64 (m, 2H), 2.36 – 2.28 (m, 1H), 2.17 (s, 3H), 1.85 – 1.75 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.0, 148.4, 140.1, 138.8, 135.6, 135.2, 133.2, 129.7, 128.5, 128.2, 126.3, 125.7, 125.5, 123.5, 121.1, 120.8, 55.8, 42.6, 33.7, 28.4, 18.6. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1693.

4-(2-fluorophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5b):



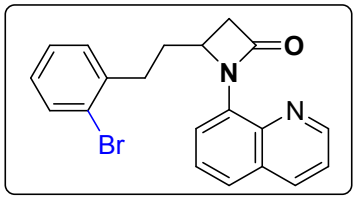
yellow oil, 50mg (78% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.73 (dd, J = 4.0, 1.6 Hz, 1H), 8.27 (dd, J = 7.6, 1.2 Hz, 1H), 8.10 (dd, J = 8.4, 1.6 Hz, 1H), 7.55 (dd, J = 8.0, 1.2 Hz, 1H), 7.52 – 7.45 (m, 1H), 7.37 (dd, J = 8.4, 4.0 Hz, 1H), 7.19 – 7.08 (m, 2H), 7.04 – 6.92 (m, 2H), 5.19 (ddd, J = 12.0, 5.6, 2.8 Hz, 1H), 3.33 (dd, J = 15.2, 5.2 Hz, 1H), 2.83 (dd, J = 15.2, 2.4 Hz, 1H), 2.73 – 2.69 (m, 2H), 2.37 (dtd, J = 11.2, 8.0, 3.2 Hz, 1H), 1.89 – 1.73 (m, 1H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -118.9. **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.9, 160.6 (d, J = 244.8 Hz), 148.4, 140.0, 135.5, 133.1, 129.9 (d, J = 4.9 Hz), 128.5, 127.5 (d, J = 16.0 Hz), 127.3 (d, J = 8.0 Hz), 126.2, 123.5 (d, J = 4.0 Hz), 121.0, 120.8, 114.8, 114.6, 55.5, 42.8, 33.6, 24.5 (d, J = 2.4 Hz). **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}FN_2O^+$: 321.1403, found: 321.1398.

4-(2-chlorophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5c):



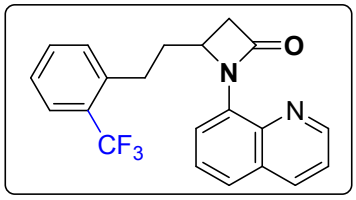
yellow oil, 52mg (77% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.77 (dd, J = 4.0, 1.6 Hz, 1H), 8.28 (dd, J = 7.6, 1.2 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.57 (dd, J = 8.0, 1.2 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.39 (dd, J = 8.4, 4.0 Hz, 1H), 7.31 – 7.28 (m, 1H), 7.15 – 7.08 (m, 3H), 5.23 (ddd, J = 11.6, 5.6, 2.8 Hz, 1H), 3.36 (dd, J = 15.2, 5.2 Hz, 1H), 2.88 (dd, J = 15.2, 2.4 Hz, 1H), 2.79 (dd, J = 8.8, 6.8 Hz, 2H), 2.36 (dtd, J = 11.2, 8.0, 3.2 Hz, 1H), 1.90 – 1.78 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.9, 148.4, 140.1, 138.3, 135.5, 133.4, 133.2, 129.8, 129.1, 128.5, 127.0, 126.3, 126.2, 123.5, 120.9, 120.8, 55.6, 42.7, 33.3, 28.9. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}ClN_2O^+$: 337.1108, found: 317.1122.

4-(2-bromophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5d):



yellow oil, 57mg (75% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.77 (dd, J = 4.0, 1.6 Hz, 1H), 8.28 (dd, J = 7.6, 1.6 Hz, 1H), 8.10 (dd, J = 8.4, 1.6 Hz, 1H), 7.56 (dd, J = 8.4, 1.2 Hz, 1H), 7.52 – 7.45 (m, 2H), 7.38 (dd, J = 8.4, 4.0 Hz, 1H), 7.20 – 7.12 (n, 2H), 7.05 – 6.99 (m, 1H), 5.24 (ddd, J = 11.6, 5.6, 2.8 Hz, 1H), 3.36 (dd, J = 15.2, 5.2 Hz, 1H), 2.90 (dd, J = 15.2, 2.4 Hz, 1H), 2.78 (dd, J = 9.2, 7.2 Hz, 2H), 2.34 (dtd, J = 9.2, 8.0, 3.2 Hz, 1H), 1.87 – 1.80 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.9, 148.5, 140.1, 140.0, 135.5, 133.2, 132.3, 129.8, 128.5, 127.3, 127.0, 126.2, 123.8, 123.5, 121.0, 120.8, 55.5, 42.7, 33.5, 31.5. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}BrN_2O^+$: 381.0603, found: 381.0607.

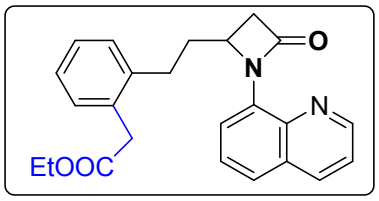
1-(quinolin-8-yl)-4-(2-(trifluoromethyl)phenethyl)azetidin-2-one(5e):



yellow oil, 53mg (71% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.81 (dd, J = 4.0, 1.6 Hz, 1H), 8.28 (dd, J = 7.6, 1.2 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.60 – 7.54 (m, 2H), 7.52 – 7.48 (m, 1H), 7.42 – 7.38 (m, 2H), 7.23 (dd, J = 13.6, 7.2 Hz, 2H), 5.26 (ddd, J = 11.6, 5.6, 2.8 Hz, 1H), 3.38 (dd, J = 15.2,

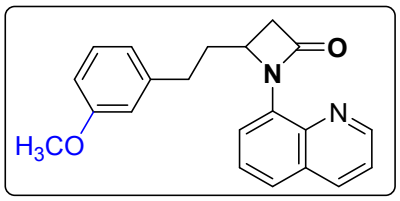
5.2 Hz, 1H), 2.88 (dd, J = 15.2, 2.4 Hz, 1H), 2.86 – 2.75 (m, 2H), 2.44 – 2.30 (m, 1H), 1.92 – 1.76 (m, 1H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -59.7. **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.3, 148.9, 140.5, 140.0, 136.0, 133.5, 131.7, 130.9, 128.9, 128.3 (dd, J = 50.2, 20.6 Hz), 126.7, 126.1, 125.9 (q, J = 272.0 Hz), 125.9 (d, J = 5.7 Hz), 123.9, 121.5, 121.3, 56.1, 43.1, 35.9, 28.4. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{21}H_{17}F_3N_2ONa^+$: 393.1191, found: 393.1196.

ethyl 2-(2-(2-(4-oxo-1-(quinolin-8-yl)azetidin-2-yl)ethyl)phenyl)acetate(5f):



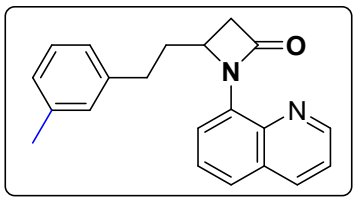
yellow oil, 62mg (80% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.81 (dd, J = 4.0, 1.6 Hz, 1H), 8.27 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.57 (dd, J = 8.0, 1.2 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.20 – 7.09 (m, 4H), 5.25 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 4.08 (q, J = 7.2 Hz, 2H), 3.62 – 3.42 (m, 2H), 3.36 (dd, J = 15.2, 5.2 Hz, 1H), 2.87 (dd, J = 15.2, 2.4 Hz, 1H), 2.78 – 2.59 (m, 2H), 2.38 – 2.24 (m, 1H), 1.80 (dtd, J = 13.2, 9.2, 6.8 Hz, 1H), 1.20 (t, J = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.4, 166.4, 148.9, 140.6, 139.6, 136.1, 133.6, 132.2, 130.6, 129.2, 129.1, 127.5, 126.7, 126.5, 124.0, 121.6, 121.3, 60.9, 56.2, 43.2, 38.5, 34.7, 28.6, 14.2. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{24}H_{24}N_2O_3Na^+$: 411.1685, found: 411.1673.

4-(3-methoxyphenethyl)-1-(quinolin-8-yl)azetidin-2-one(5g):



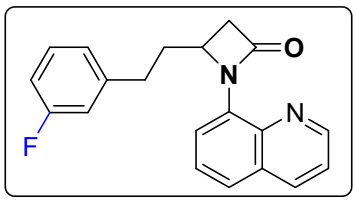
yellow oil, 48mg (72% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.80 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.25 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.11 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.57 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.39 (dd, *J* = 8.4, 4.0Hz, 1H), 7.19 – 7.15 (m, 1H), 6.74 – 6.68 (m, 2H), 6.68 – 6.64 (m, 1H), 5.20 (ddd, *J* = 12.0, 5.6, 3.2 Hz, 1H), 3.76 (s, 3H), 3.32 (dd, *J* = 15.2, 5.2 Hz, 1H), 2.82 (dd, *J* = 15.2, 2.4 Hz, 1H), 2.71– 2.60 (m, 2H), 2.36 (tdd, *J* = 9.2, 7.2, 3.2 Hz, 1H), 1.84 (dtd, *J* = 13.2, 8.8, 6.4 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.9, 159.2, 148.5, 142.3, 140.2, 135.5, 133.1, 128.8, 128.5, 126.2, 123.6, 121.2, 120.8, 120.2, 113.8, 110.6, 55.6, 54.7, 42.6, 34.6, 31.1. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{21}N_2O_2^+$: 333.1603, found: 333.1594.

4-(3-methylphenethyl)-1-(quinolin-8-yl)azetidin-2-one(5h):



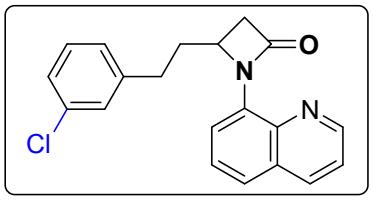
yellow oil, 47 mg (75% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.80 (dd, J = 4.0, 1.6 Hz, 1H), 8.28 (dd, J = 7.6, 1.2 Hz, 1H), 8.11 (dd, J = 8.4, 1.6 Hz, 1H), 7.57 (dd, J = 8.0, 1.2 Hz, 1H), 7.54 – 7.46 (m, 1H), 7.39 (dd, J = 8.4, 4.0 Hz, 1H), 7.18 – 7.12 (m, 1H), 7.00 (d, J = 7.6 Hz, 1H), 6.93 (d, J = 6.4 Hz, 2H), 5.21 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.33 (dd, J = 15.2, 5.2 Hz, 1H), 2.84 (dd, J = 15.2, 2.4 Hz, 1H), 2.69 – 2.63 (m, 2H), 2.41 – 2.34 (m, 1H), 2.31 (s, 3H), 1.93 – 1.78 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.0, 148.8, 140.6, 140.2, 137.5, 135.6, 133.2, 128.6, 128.5, 127.8, 1263, 126.2, 124.8, 123.6, 121.2, 120.8, 55.7, 42.6, 34.8, 31.1, 20.9. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1669.

4-(3-fluorophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5i):



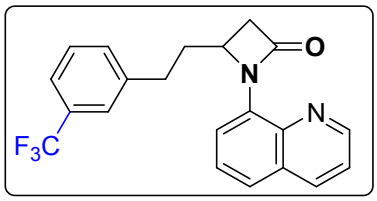
yellow oil, 38mg (60% yield); **^{1}H NMR (400 MHz, $CDCl_3$)**δ 8.79 (dd, J = 4.0, 1.6 Hz, 1H), 8.26 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.23 – 7.17 (m, 1H), 6.91 – 6.82 (m, 3H), 5.19 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.32 (dd, J = 15.2, 5.2 Hz, 1H), 2.81 (dd, J = 15.2, 2.4 Hz, 1H), 2.75 – 2.62 (m, 2H), 2.45 – 2.31 (m, 1H), 1.90 – 1.77 (m, 1H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -113.6. **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.8, 162.4 (d, *J* = 245.2 Hz), 148.5, 143.1 (d, *J* = 7.2 Hz), 140.1, 135.6, 133.1, 129.3 (d, *J* = 8.4 Hz), 128.5, 126.2, 123.67 – 123.38 (m), 121.0 (d, *J* = 19.2 Hz), 114.7, 114.5, 112.5, 112.3, 55.4, 42.6, 34.3, 30.8. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}FN_2O^+$: 321.1403, found: 321.1400.

4-(3-chlorophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5j):



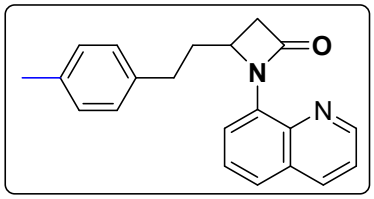
yellow oil, 48mg (72% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.79 (dd, J = 4.2, 1.6 Hz, 1H), 8.26 (dd, J = 7.6, 1.2 Hz, 1H), 8.13 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.0 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.41 (dd, J = 8.4, 4.0 Hz, 1H), 7.20 – 7.14 (m 2H), 7.12 (s, 1H), 7.01 – 6.97 (m, 1H), 5.19 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.33 (dd, J = 15.2, 5.2 Hz, 1H), 2.82 (dd, J = 15.2, 2.4 Hz, 1H), 2.75 – 2.59 (m, 2H), 2.42 – 2.31 (m, 1H), 1.84 (dtd, J = 13.2, 8.8, 6.0 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.2, 149.0, 143.1, 140.6, 136.1, 134.2, 133.5, 129.6, 129.0, 128.4, 126.7, 126.6, 126.2, 124.0, 121.6, 121.4, 55.8, 43.1, 34.8. 31.3. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{20}H_{17}ClN_2ONa^+$: 359.0927, found: 359.0939.

1-(quinolin-8-yl)-4-(3-(trifluoromethyl)phenethyl)azetidin-2-one(5k):



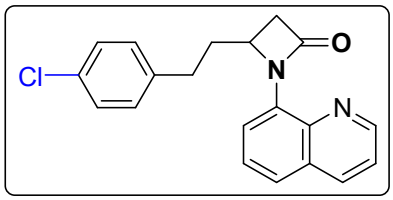
yellow oil, 46mg (62% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.75 (dd, J = 4.0, 1.6 Hz, 1H), 8.25 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.0 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.43 (d, J = 7.6 Hz, 1H), 7.40 (dd, J = 8.4, 4.2 Hz, 1H), 7.37 – 7.34 (m, 2H), 7.29 (d, J = 7.6 Hz, 1H), 5.21 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.34 (dd, J = 15.2, 5.2 Hz, 1H), 2.83 (dd, J = 15.2, 2.4 Hz, 1H), 2.78 – 2.67 (m, 2H), 2.45 – 2.33 (m, 1H), 1.89 (dtd, J = 13.6, 8.8, 6.4 Hz, 1H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -62.5. **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.2, 148.9, 141.9, 140.6, 136.1, 133.5, 131.7, 130.7 (q, J = 33.3 Hz), 129.0, 128.8, 126.7, 125.6 (q, J = 241.0 Hz), 124.9 (q, J = 3.7 Hz), 124.1, 122.9 (dd, J = 7.5, 3.6 Hz), 121.6, 121.4, 55.8, 43.1, 34.9, 31.4. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{17}F_3N_2ONa^+$: 393.1191, found: 393.1198.

4-(4-methylphenethyl)-1-(quinolin-8-yl)azetidin-2-one(5l):



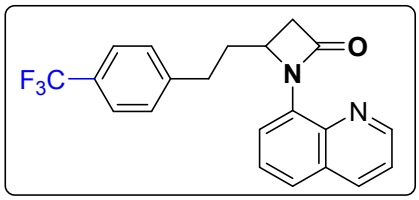
yellow oil, 37 mg (58% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.80 (dd, J = 4.2, 1.6 Hz, 1H), 8.26 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.6 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.39 (dd, J = 8.4, 4.0 Hz, 1H), 7.07 (d, J = 8.0 Hz, 2H), 7.01 (d, J = 8.0 Hz, 2H), 5.19 (ddd, J = 11.6, 5.6, 2.8 Hz, 1H), 3.32 (dd, J = 15.2, 5.2 Hz, 1H), 2.82 (dd, J = 15.2, 2.4 Hz, 1H), 2.69 – 2.60 (m, 2H), 2.45 – 2.32 (m, 1H), 2.30 (s, 3H), 1.90 – 1.76 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.5, 148.9, 140.7, 138.0, 136.0, 135.5, 133.6, 129.1, 129.0, 128.2, 126.7, 124.0, 121.7, 121.3, 56.2, 43.1, 35.3, 31.1, 21.0. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1668.

4-(4-chlorophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5m):



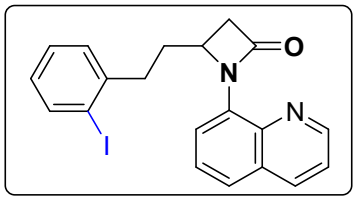
yellow oil, 36mg (53% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.76 (dd, J = 4.0, 1.6 Hz, 1H), 8.24 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.22 – 7.18 (m, 2H), 7.03 (d, J = 8.4 Hz, 2H), 5.18 (ddd, J = 11.6, 5.6, 2.8 Hz, 1H), 3.32 (dd, J = 15.2, 5.2 Hz, 1H), 2.81 (dd, J = 15.2, 2.4 Hz, 1H), 2.69 – 2.58 (m, 2H), 2.33 (tdd, J = 9.2, 7.2, 3.2 Hz, 1H), 1.83 (dtd, J = 13.2, 8.8, 6.4 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.8, 148.4, 140.1, 139.0, 135.6, 133.0, 131.3, 129.1, 128.5, 127.9, 126.2, 123.6, 121.1, 120.9, 55.4, 42.6, 34.6, 30.5. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}ClN_2O^+$: 337.1108, found: 337.1118.

1-(quinolin-8-yl)-4-(4-(trifluoromethyl)phenethyl)azetidin-2-one(5n):



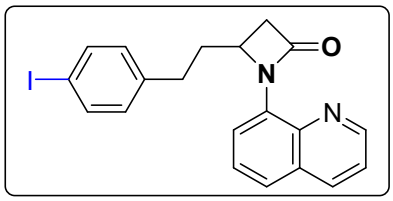
yellow oil, 33mg (45% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.75 (dd, J = 4.0, 1.6 Hz, 1H), 8.24 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.52 – 7.48 (m, 3H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.21 (d, J = 8.0 Hz, 2H), 5.25 – 5.17 (m, 1H), 3.34 (dd, J = 15.2, 5.2 Hz, 1H), 2.84 (dd, J = 15.2, 2.4 Hz, 1H), 2.78 – 2.70 (m, 2H), 2.43 – 2.32 (m, 1H), 1.90 (dtd, J = 13.6, 8.8, 6.4 Hz, 1H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -62.4. **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.2, 148.8, 145.2, 140.6, 136.1, 133.5, 129.0, 128.6, 126.7, 125.6 (q, J = 268.7 Hz), 125.4 (d, J = 4.0 Hz), 125.3 (dd, J = 7.6, 3.8 Hz), 124.1, 121.6, 121.4, 55.8, 43.0, 34.9, 31.4. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{21}H_{18}F_3N_2O^+$: 371.1371, found: 371.1382.

4-(2-iodophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5o):



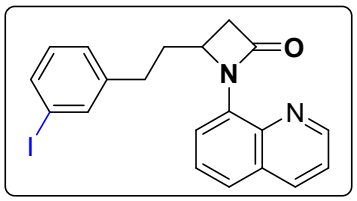
yellow oil, 68mg (80% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.81 – 8.78 (m, 1H), 8.29 (dd, J = 7.6, 1.2 Hz, 1H), 8.10 (dd, J = 8.4, 1.6 Hz, 1H), 7.75 (dd, J = 8.2, 1.2 Hz, 1H), 7.56 (dd, J = 8.0, 1.6 Hz, 1H), 7.52 – 7.45 (m, 1H), 7.38 (dd, J = 8.4, 4.0 Hz, 1H), 7.24 – 7.18 (m, 1H), 7.12 (dd, J = 7.6, 1.6 Hz, 1H), 6.87 – 6.81 (m, 1H), 5.26 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.37 (dd, J = 15.2, 5.2 Hz, 1H), 2.94 (dd, J = 15.2, 2.4 Hz, 1H), 2.83 – 2.65 (m, 2H), 2.41 – 2.17 (m, 1H), 1.89 – 1.73 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.9, 148.5, 143.2, 140.1, 139.0, 135.5, 133.2, 128.8, 128.5, 127.9, 127.5, 126.2, 123.5, 121.1, 120.9, 99.8, 55.5, 42.7, 36.2, 33.8. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}IN_2O^+$: 429.0464, found: 429.0474.

4-(4-iodophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5p):



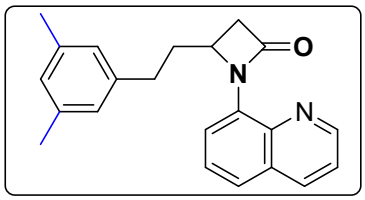
yellow oil, 43mg (50% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.76 (dd, J = 4.0, 1.6 Hz, 1H), 8.23 (dd, J = 7.6, 1.2 Hz, 1H), 8.12 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.56 – 7.52 (m, 2H), 7.52 – 7.48 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 6.85 (d, J = 8.4 Hz, 2H), 5.18 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.32 (dd, J = 15.2, 5.2 Hz, 1H), 2.81 (dd, J = 15.2, 2.4 Hz, 1H), 2.68 – 2.54 (m, 2H), 2.36–2.28 (m, 1H), 1.86 – 1.80 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.3, 148.9, 140.7, 140.6, 137.4, 136.1, 133.5, 130.4, 128.9, 126.7, 124.1, 121.6, 121.4, 91.1, 55.9, 43.0, 34.9, 31.1. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}IN_2O^+$: 429.0464, found: 429.0472.

4-(3-iodophenethyl)-1-(quinolin-8-yl)azetidin-2-one(5q):



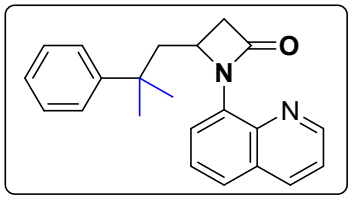
yellow oil, 53mg (62% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.79 (dd, J = 4.0, 1.6 Hz, 1H), 8.24 (dd, J = 7.6, 1.2 Hz, 1H), 8.13 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.54 – 7.46 (m, 3H), 7.41 (dd, J = 8.4, 4.0 Hz, 1H), 7.07 (d, J = 8.0 Hz, 1H), 6.99 – 6.96 (m, 1H), 5.18 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.32 (dd, J = 15.2, 5.2 Hz, 1H), 2.81 (dd, J = 15.2, 2.4 Hz, 1H), 2.70 – 2.52 (m, 2H), 2.37 – 2.31 (m, 1H), 1.85 – 1.79 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.3, 149.1, 143.5, 140.6, 137.2, 136.1, 135.1, 133.5, 130.1, 129.0, 127.7, 126.7, 124.1, 121.6, 121.4, 94.5, 55.8, 43.1, 34.9, 31.2. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{20}H_{18}IN_2O^+$: 429.0464, found: 429.0472.

4-(3,5-dimethylphenethyl)-1-(quinolin-8-yl)azetidin-2-one(5r):



^{1}H NMR (400 MHz, $CDCl_3$) δ 8.79 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.24 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.12 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.58 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.53 – 7.46 (m, 1H), 7.40 (dd, *J* = 8.4, 4.0 Hz, 1H), 6.80 (s, 1H), 6.72 (s, 2H), 5.30 – 5.04 (m, 1H), 3.32 (dd, *J* = 15.2, 5.2 Hz, 1H), 2.82 (dd, *J* = 15.2, 2.4 Hz, 1H), 2.62 – 2.58 (m, 2H), 2.33 (ddd, *J* = 13.6, 7.6, 3.6 Hz, 1H), 2.25 (s, 6H), 1.91 – 1.75 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.6, 148.9, 141.0, 140.8, 137.8, 136.1, 133.6, 129.0, 127.6, 126.7, 126.1, 124.1, 121.7, 121.3, 56.2, 43.1, 35.2, 31.4, 21.2. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{22}H_{22}N_2ONa^+$: 353.1630, found: 353.1639.

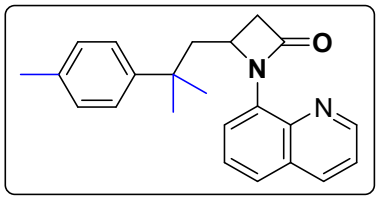
4-(2-methyl-2-phenylpropyl)-1-(quinolin-8-yl)azetidin-2-one(5s):



yellow oil, 55mg (84% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.72 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.18 (d, *J* = 6.8 Hz, 1H), 8.12 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.58 (d, *J* = 7.6 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 1H), 7.40 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.36 – 7.28 (m, 4H), 7.22 (dd, *J* = 8.4, 4.4 Hz, 1H), 5.22 – 4.84 (m, 1H), 2.96 (dd, *J* = 15.2, 5.2 Hz, 1H), 2.42 (dd, *J* = 15.2, 2.4 Hz, 1H), 2.36 – 2.27 (m, 1H), 1.73 (dd, *J* = 13.2, 11.2

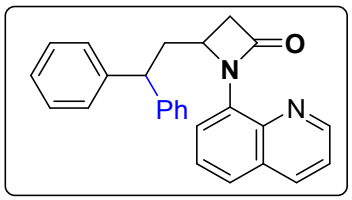
Hz, 1H), 1.43 (s, 3H), 1.39 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.1, 148.4, 147.6, 140.2, 135.51, 132.6, 128.5, 127.7, 126.2, 125.5, 125.4, 123.5, 121.5, 120.8, 53.9, 46.9, 44.2, 36.6, 28.8, 27.9. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{22}H_{23}N_2O^+$: 331.1810, found: 331.1807.

4-(2-methyl-2-(p-tolyl)propyl)-1-(quinolin-8-yl)azetidin-2-one(5t):



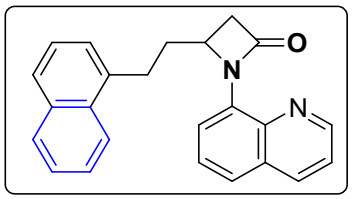
yellow oil, 45mg (66% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.78 – 8.69 (m, 1H), 8.20 – 8.10 (m, 2H), 7.57 (d, J = 8.0 Hz, 1H), 7.51 – 7.47 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.22 (d, J = 8.0 Hz, 2H), 7.12 (d, J = 8.0 Hz, 2H), 5.19 – 5.01 (m, 1H), 2.96 (dd, J = 15.2, 5.2 Hz, 1H), 2.42 (dd, J = 15.2, 2.4 Hz, 1H), 2.34 (s, 3H), 2.29 (d, J = 13.2 Hz, 1H), 1.70 (dd, J = 13.2, 11.2 Hz, 1H), 1.40 (s, 3H), 1.37 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.2, 148.4, 144.6, 140.3, 135.5, 134.9, 132.6, 128.5, 128.4, 126.2, 125.3, 123.5, 121.5, 120.8, 54.1, 46.8, 44.2, 36.3, 28.9, 27.9, 20.4. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{23}H_{25}N_2O^+$: 345.1967, found: 345.1977.

4-(2,2-diphenylethyl)-1-(quinolin-8-yl)azetidin-2-one(5u):



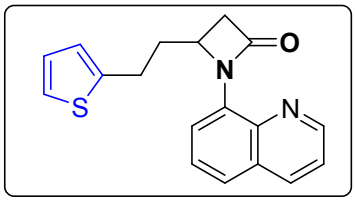
yellow oil, 60 mg (80% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.73 (dd, J = 4.0, 1.6 Hz, 1H), 8.27 (dd, J = 7.6, 1.2 Hz, 1H), 8.10 (dd, J = 8.4, 1.6 Hz, 1H), 7.55 (dd, J = 8.0, 1.2 Hz, 1H), 7.51 – 7.46 (m, 1H), 7.38 (dd, J = 8.4, 4.0 Hz, 1H), 7.36 – 7.28 (m, 4H), 7.26 – 7.22 (m, 1H), 7.21 – 7.17 (m, 2H), 7.14 – 7.08 (m, 3H), 5.11 (ddt, J = 10.4, 5.2, 2.8 Hz, 1H), 4.01 (dd, J = 10.0, 5.6 Hz, 1H), 3.16 (dd, J = 15.2, 5.2 Hz, 1H), 2.94 (ddd, J = 13.2, 10.0, 2.8 Hz, 1H), 2.73 (dd, J = 15.2, 2.4 Hz, 1H), 2.10 (ddd, J = 13.2, 10.4, 5.6 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.4, 148.9, 144.6, 143.4, 140.4, 136.1, 133.5, 129.0, 128.5, 128.2, 127.6, 126.7, 126.6, 126.4, 123.9, 121.5, 121.4, 55.7, 48.6, 43.4, 39.6. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{26}H_{22}N_2ONa^+$: 401.1630, found: 401.1627.

4-(2-(naphthalen-1-yl)ethyl)-1-(quinolin-8-yl)azetidin-2-one(5v):



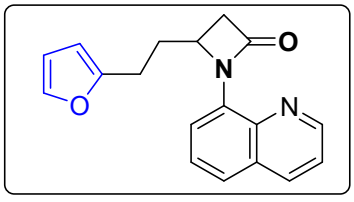
yellow oil, 55 mg (78% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.60 (dd, J = 4.0, 1.6 Hz, 1H), 8.30 (dd, J = 7.2, 1.6 Hz, 1H), 8.09 (dd, J = 8.4, 1.6 Hz, 1H), 7.84 – 7.78 (m, 2H), 7.70 (d, J = 8.0 Hz, 1H), 7.56 (dd, J = 8.0, 1.2 Hz, 1H), 7.53 – 7.48 (m, 1H), 7.47 – 7.41 (m, 1H), 7.40 – 7.31 (m, 3H), 7.28 (d, J = 6.8 Hz, 1H), 5.62 – 5.07 (m, 1H), 3.37 (dd, J = 15.2, 5.2 Hz, 1H), 3.17 – 3.10 (m, 2H), 2.89 (dd, J = 15.2, 2.4 Hz, 1H), 2.51 (tdd, J = 9.2, 7.2, 3.2 Hz, 1H), 1.98 (dtd, J = 13.6, 8.8, 6.4 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 166.4, 148.8, 140.5, 137.2, 135.9, 133.8, 133.7, 131.6, 128.9, 128.8, 126.9, 126.7, 125.9, 125.7, 125.5, 125.5, 123.9, 123.5, 121.5, 121.3, 56.3, 43.1, 34.5, 28.8. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{24}H_{21}N_2O^+$: 353.1654, found: 353.1660.

1-(quinolin-8-yl)-4-(2-(thiophen-2-yl)ethyl)azetidin-2-one(5w):



yellow oil, 40 mg (65% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.81 (dd, J = 4.0, 1.6 Hz, 1H), 8.26 (dd, J = 7.6, 1.2 Hz, 1H), 8.13 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.10 (dd, J = 5.2, 1.2 Hz, 1H), 6.89 (dd, J = 5.2, 3.6 Hz, 1H), 6.76 (dd, J = 2.4, 1.2 Hz, 1H), 5.25 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.33 (dd, J = 15.2, 5.2 Hz, 1H), 2.93 – 2.89 (m, 2H), 2.83 (dd, J = 15.2, 2.4 Hz, 1H), 2.43 (dtd, J = 11.6, 8.0, 3.2 Hz, 1H), 1.92 (ddt, J = 13.6, 9.2, 7.6 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.8, 148.5, 143.4, 140.1, 135.6, 133.1, 128.5, 126.3, 126.2, 123.9, 123.5, 122.8, 121.1, 120.8, 55.4, 42.6, 35.1, 25.2. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{18}H_{17}N_2OS^+$: 309.1062, found: 309.1077.

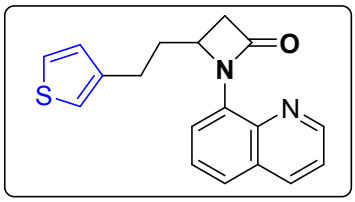
4-(2-(furan-2-yl)ethyl)-1-(quinolin-8-yl)azetidin-2-one(5x):



yellow oil, 47 mg (80% yield); **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.25 (dd, J = 7.6, 1.2 Hz, 1H), 8.13 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 8.0, 1.2 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.40 (dd, J = 8.4, 4.0 Hz, 1H), 7.28 – 7.26 (m, 1H), 6.26 (dd, J = 3.2, 1.2 Hz, 1H), 5.99 – 5.97 (m, 1H),

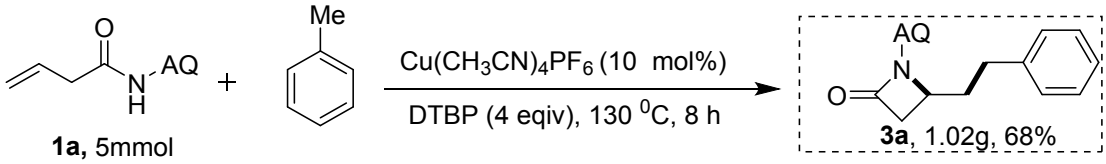
5.23 (ddd, J = 11.6, 5.6, 3.2 Hz, 1H), 3.31 (dd, J = 15.2, 5.2 Hz, 1H), 2.76 (dd, J = 15.2, 2.4 Hz, 1H), 2.72 – 2.68 (m, 2H), 2.41 – 2.33 (m, 1H), 1.93 – 1.83 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.9, 154.3, 148.5, 140.5, 140.2, 135.6, 133.1, 128.5, 126.2, 123.6, 121.2, 120.8, 109.7, 104.7, 55.5, 42.6, 31.6, 23.5. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{18}H_{17}N_2O_2^+$: 293.1290, found: 293.1300.

1-(quinolin-8-yl)-4-(2-(thiophen-3-yl)ethyl)azetidin-2-one(5y):

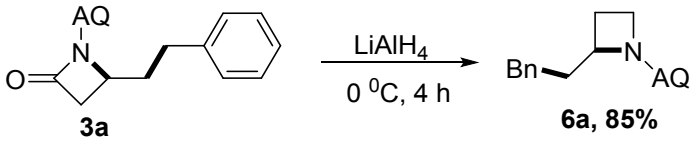


yellow oil, 34 mg (55% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.81 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.26 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.13 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.58 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.53 – 7.48 (m, 1H), 7.40 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.23 (dd, *J* = 4.8, 2.8 Hz, 1H), 6.92 (dd, *J* = 2.8, 1.2 Hz, 1H), 6.87 (dd, *J* = 4.8, 1.2 Hz, 1H), 5.22 (ddd, *J* = 11.6, 5.6, 3.2 Hz, 1H), 3.32 (dd, *J* = 15.2, 5.2 Hz, 1H), 2.81 (dd, *J* = 15.2, 2.4 Hz, 1H), 2.73 – 2.69 (m, 2H), 2.37 (dtd, *J* = 11.2, 8.0, 3.2 Hz, 1H), 1.85 (ddt, *J* = 13.2, 9.2, 7.2 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 166.5, 148.9, 141.4, 140.7, 136.1, 133.6, 129.0, 128.0, 126.7, 125.5, 124.1, 121.6, 121.3, 120.2, 56.1, 43.0, 34.3, 26.0. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{18}H_{16}N_2OSNa^+$: 331.0866, found: 331.0881.

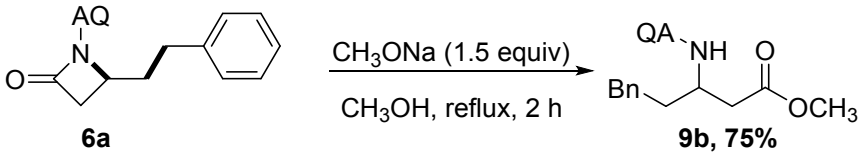
8. Gram scale reaction and further application



A mixture of **1a** (5 mmol, 1.05 g,), DTBP (3.7 ml, 20 mmol), $Cu(CH_3CN)_4PF_6$ (185 mg, 0.5 mmol), and toluene(15 mL) in a 50 mL round-bottom flask sealed under air atmosphere was heated at 130 ^{0}C for 8 hours. The reaction mixture cooled to room temperature and concentrated in vacuo. The resulting residue was purified by column chromatography (PE / EA = 20 / 1–5 / 1) on silica gel to give the product **3a (68%, 1.02g)**.



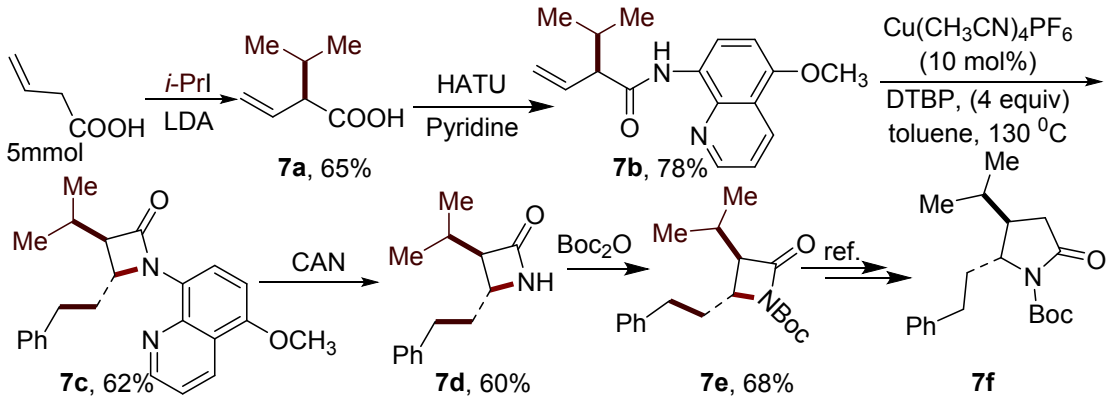
To a dry tube was added **3a** (60.4 mg, 0.20 mmol), anhydrous Et_2O (1.0 mL), followed by the addition of $LiAlH_4$ (22.8 mg, 0.60 mmol) at 0 °C. After being stirred for 4 h, the reaction was slowly quenched with sat. NH_4Cl and then extracted with EA (3 x 10 mL). The combined organic layers were dried over anhydrous Na_2SO_4, concentrated in vacuo and purified by column chromatography (EA: PE=1: 4) to afford the desired product **6a** (49 mg, 85%)[4]. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.71 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.06 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.40 – 7.32 (m, 2H), 7.26 (d, *J* = 14.6 Hz, 1H), 7.20 – 7.14 (m, 3H), 7.04 (dd, *J* = 8.4, 0.8 Hz, 1H), 6.68 (d, *J* = 7.6 Hz, 1H), 6.13 (d, *J* = 8.4 Hz, 1H), 3.83 – 3.81 (m, 3H), 2.81 – 2.71 (m, 2H), 2.05 – 1.96 (m, 3H), 1.93 – 1.87 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 146.8, 144.4, 141.9, 138.3, 136.1, 128.9, 128.5, 128.4, 127.8, 125.8, 121.4, 113.9, 105.4, 60.6, 50.2, 37.9, 37.4, 32.4.



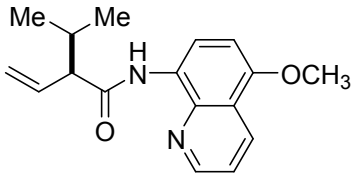
6a **9b, 75%**

A mixture of **3a** (60.4 mg, 0.2 mmol), CH_3ONa (16.2 mg, 0.3 mmol), and CH_3OH (2 mL) in a 10 mL glass vial sealed under air atmosphere was heated at 90 ^{0}C for 2 hours. The reaction mixture cooled to room temperature and concentrated in vacuo. The resulting residue was purified by column chromatography (EA: PE=1: 10) on silica gel to give the product **6b** (50 mg, 75%)[5]. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.73 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.06 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.40 – 7.33 (m, 2H), 7.29 – 7.24 (m, 2H), 7.20 – 7.16 (m, 3H), 7.05 (d, *J* = 8.0 Hz, 1H), 6.69 (d, *J* = 7.6 Hz, 1H), 6.28 (d, *J* = 9.2 Hz, 1H), 4.07 (d, *J* = 6.8 Hz, 1H), 3.64 (s, 3H), 2.87 (ddd, *J* = 14.6, 8.8, 6.4 Hz, 1H), 2.81 – 2.72 (m, 2H), 2.59 (dd, *J* = 15.2, 7.2 Hz, 1H), 2.11 – 2.02 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.3, 146.9, 143.6, 141.6, 138.3, 136.1, 128.8, 128.5, 128.4, 127.8, 125.9, 121.4, 114.1, 105.2, 51.7, 49.4, 39.6, 36.9, 32.4. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{21}H_{22}N_2O_2Na^+$: 357.1579, found: 357.1593.

The synthetic application for 7f

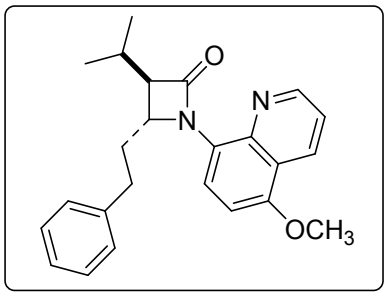


2-isopropyl-N-(5-methoxyquinolin-8-yl)but-3-enamide(7b)



The compound **7b** was prepared according to **1**. Purified by silica gel column chromatography in petroleum ether : ethyl acetate = 2 : 1 gave **7b** as a yellow solid (0.720 g, 50 %). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.64 (s, 1H), 8.75 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.69 (d, *J* = 84 Hz, 1H), 8.47 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.34 (dd, *J* = 8.4, 4.0 Hz, 1H), 6.75 (d, *J* = 8.4 Hz, 1H), 6.07 – 5.98 (m, 1H), 5.31 – 5.23 (m, 2H), 3.89 (s, 3H), 2.83 – 2.74 (m, 1H), 2.31 – 2.19 (m, 1H), 1.02 (d, *J* = 6.8 Hz, 3H), 0.96 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.1, 149.7, 148.1, 138.6, 135.5, 130.6, 127.4, 120.1, 119.9, 118.0, 116.1, 103.7, 60.8, 55.2, 29.8, 20.5, 19.2. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{17}H_{20}N_2O_2Na^+$:307.1422, found:307.1407.

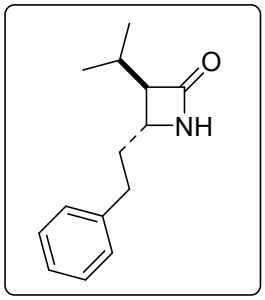
3-isopropyl-1-(5-methoxyquinolin-8-yl)-4-phenethylazetidin-2-one(7c)



The compound **7c** was prepared according to **3**. Purified by silica gel column chromatography in petroleum ether : ethyl acetate = 2 : 1 gave **7c** as a yellow oil (0.580 g, 62 %). **^{1}H NMR (400 MHz,**

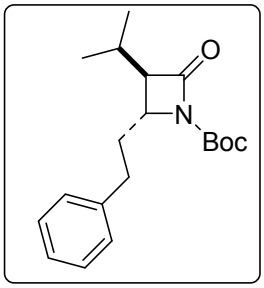
$CDCl_3$) δ 8.84 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.54 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.38 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.24 – 7.20 (m, 2H), 7.15 (d, *J* = 7.2 Hz, 1H), 7.12 – 7.06 (m, 2H), 6.82 (d, *J* = 8.4 Hz, 1H), 4.95 – 4.86 (m, 1H), 3.98 (s, 3H), 2.87 (dd, *J* = 7.6, 2.0 Hz, 1H), 2.66 (ddd, *J* = 9.6, 6.4, 3.6 Hz, 2H), 2.28 – 2.18 (m, 2H), 1.92 – 1.83 (m, 1H), 1.22 (d, *J* = 6.8 Hz, 3H), 1.14 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 168.3, 151.8, 149.1, 141.7, 141.0, 130.2, 127.8, 127.7, 126.0, 125.4, 122.4, 120.5, 112.0, 103.7, 62.6, 59.7, 55.4, 34.5, 31.3, 27.9, 20.5, 20.0. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{24}H_{26}N_2O_2Na^+$:397.1892, found:397.1875.

3-isopropyl-4-phenethylazetidin-2-one(7d)[6]



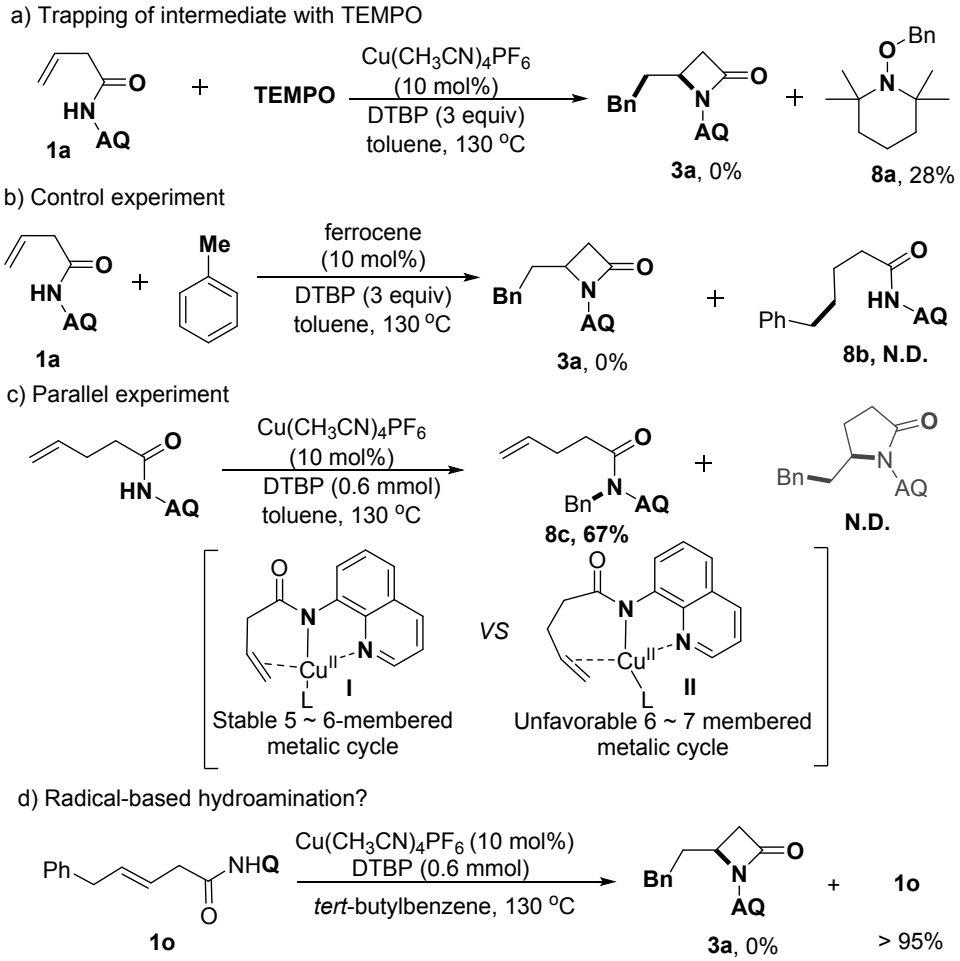
To an ice-water cooled solution of **7c** (74.8 mg, 0.2 mmol) in acetonitrile (1.5 mL) and water (0.5 mL) was added ceric ammonium nitrate (328.8 mg, 0.6mmol) in one portion. The reaction was kept in ice-water bath for 1 h. After completion, the reaction was diluted with ethyl acetate (25 mL), washed with saturated sodium thiosulfate (2 × 10 mL) and brine (10 mL), dried over anhydrous Na_2SO_4. Evaporation of the organic solvent and purification by silica gel column chromatography gave the desired product **7d** (26 mg, 60%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.33 – 7.27 (m, 2H), 7.22 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.20 – 7.16 (m, 2H), 5.69 (s, 1H), 3.38 (ddd, *J* = 7.6, 5.6, 2.0 Hz, 1H), 2.75 – 2.62 (m, 2H), 2.62 – 2.57 (m, 1H), 2.04 – 1.89 (m, 3H), 1.07 (d, *J* = 6.8 Hz, 3H), 0.98 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 170.3, 140.8, 128.7, 128.3, 126.3, 63.9, 52.8, 36.9, 33.2, 27.8, 20.6, 20.1. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{14}H_{19}NONa^+$:240.1364, found:240.1349.

tert-butyl 3-isopropyl-2-oxo-4-phenethylazetidine-1-carboxylate(7e)[7]



To a solution of compound **7d** (21.6 mg, 0.1 mmol) in anhydrous dichloromethane (2 mL) was added 4-(dimethylamino)pyridine (18.3 mg, 0.15 mmol) and Boc anhydride (87.3 mg, 0.4 mmol). The mixture was stirred at room temperature for 1 hour then concentrated under reduced pressure. A purification by silica gel column chromatography in petroleum ether : ethyl acetate = 5:1 gave **7e** (21.6 mg, 68%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.30 (t, *J* = 7.4 Hz, 2H), 7.23 – 7.19 (m, 3H), 3.73 (dt, *J* = 8.4, 3.2 Hz, 1H), 2.71 – 2.65 (m, 2H), 2.62 (dd, *J* = 8.4, 2.8 Hz, 1H), 2.48 – 2.37 (m, 1H), 2.04 – 1.96 (m, 1H), 1.94 – 1.84 (m, 1H), 1.52 (s, 9H), 1.09 (d, *J* = 687 Hz, 3H), 1.00 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 167.3, 148.2, 140.8, 128.6, 128.2, 126.2, 83.1, 62.0, 55.8, 34.2, 31.6, 28.17, 28.1, 20.7, 20.1. **HRMS(ESI-TOF)**: $[M+Na]^+$ m/z calcd for $C_{19}H_{27}NO_3Na^+$:340.1889, found:340.1875.

9. Preliminary mechanistic study



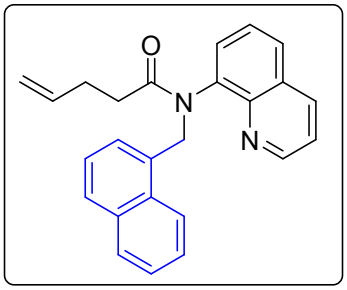
a) Procedure for TEMPO inhibition experiment: A mixture of **1a** (42 mg, 0.2 mmol), DTBP (110 μL, 0.6 mmol), $Cu(CH_3CN)_4PF_6$ (7.4 mg, 0.02 mmol), TEMPO (62.4mg, 0.4mmol) and toluene (1 mL) in a 15 mL glass vial sealed under air atmosphere was heated at 130 ^{0}C for 8 hours. The reaction mixture cooled to room temperature and concentrated in vacuo. The resulting residue was purified by

column chromatography (PE / EA = 6 / 1) on silica gel to give the product **8a** (13.8 mg, 28%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.32 – 7.23 (m, 4H), 7.22 – 7.16 (m, 1H), 4.76 (s, 2H), 1.56 – 1.26 (m, 6H), 1.19 (s, 6H), 1.08 (s, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 138.4, 128.3, 127.5, 127.3, 78.7, 60.1, 39.7, 33.1, 20.3, 17.2. **HRMS(ESI-TOF):** $[M+H]^+$ m/z calcd for $C_{16}H_{26}NO^+$:248.2014, found:248.2004.

b). Control experinment: A mixture of **1a** (42 mg, 0.2 mmol), DTBP (110 μL, 0.6 mmol), Ferrocene (3.72 mg, 0.02 mmol), and toluene (1 mL) in a 15 mL glass vial sealed under air atmosphere was heated at 130 ^{0}C for 8 hours.

c) Parallel experinment: A mixture of N-(quinolin-8-yl)pent-4-enamide (45 mg, 0.2 mmol), DTBP (110 μL, 0.6 mmol), $Cu(CH_3CN)_4PF_6$ (7.4 mg, 0.02 mmol), and toluene (1 mL) in a 15 mL glass vial sealed under air atmosphere was heated at 130 ^{0}C for 8 hours. The reaction mixture cooled to room temperature and concentrated in vacuo. The resulting residue was purified by column chromatography (PE / EA = 6 / 1) on silica gel to give the product **8c** (42.3 mg, 67%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.99 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.80 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.48 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.24 – 7.11 (m, 6H), 5.90 (d, *J* = 14.4 Hz, 1H), 5.72 – 5.62 (m, 1H), 4.85 (t, *J* = 13.6 Hz, 2H), 4.19 (d, *J* = 14.4 Hz, 1H), 2.44 – 2.25 (m, 2H), 2.15 – 2.04 (m, 1H), 2.03 – 1.91 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 172.6, 150.6, 143.9, 138.9, 137.8, 137.3, 135.8, 129.7, 129.6, 128.5, 127.9, 127.7, 126.6, 125.6, 121.4, 114.2, 52.1, 33.1, 28.9. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{16}H_{26}NO^+$: 248.2014, found: 248.2004.

N-(naphthalen-1-ylmethyl)-N-(quinolin-8-yl)pent-4-enamide(8d)



The compound **8d** was prepared according to **8c**. Purified by silica gel column chromatography in petroleum ether : ethyl acetate = 4 : 1 gave **8d** as a white soild (52 mg, 72%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.01 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.25 (d, *J* = 8.2 Hz, 1H), 8.16 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.85 – 7.78 (m, 1H), 7.71 – 7.65 (m, 2H), 7.54 – 7.45 (m, 3H), 7.16 – 7.08 (m, 2H), 6.82 (d, *J* = 6.8 Hz, 1H), 6.67 (d, *J* = 6.8 Hz, 1H), 6.57 (d, *J* = 14.4 Hz, 1H), 5.69 (ddt, *J* = 16.8, 10.2, 6.4 Hz, 1H), 4.91 – 4.78 (m, 2H), 4.62 (d, *J* = 14.4 Hz, 1H), 2.48 – 2.30 (m, 2H), 2.15 – 2.06 (m, 1H), 1.99 (ddd, *J* = 15.2, 11.6, 7.2 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 173.0, 151.1, 144.5 138.4, 137.7, 136.3, 133.7, 133.6,

132.0, 130.5, 129.4, 128.5, 128.3, 128.2, 126.3, 125.9, 125.7, 124.9, 124.6, 121.8, 114.7, 49.7, 33.8, 29.5. **HRMS(ESI-TOF)**: $[M+H]^+$ m/z calcd for $C_{25}H_{23}N_2O^+$: 367.1810, found: 367.1810.

10. Stereochemistry Determination of 8d via X-ray Crystallographic Analysis.

Product 8d was crystallized as colorless crystal via evaporation of a CH2Cl2/n-hexane solution, and its absolute configuration was determined by x-ray crystallography using Cu Kα radiation. From the X-ray structure, the stereochemistry of product 7d was assigned as E configuration. CCDC 1876609 (8d) contains the supplementary crystallographic data that can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data request/cif.

11. References

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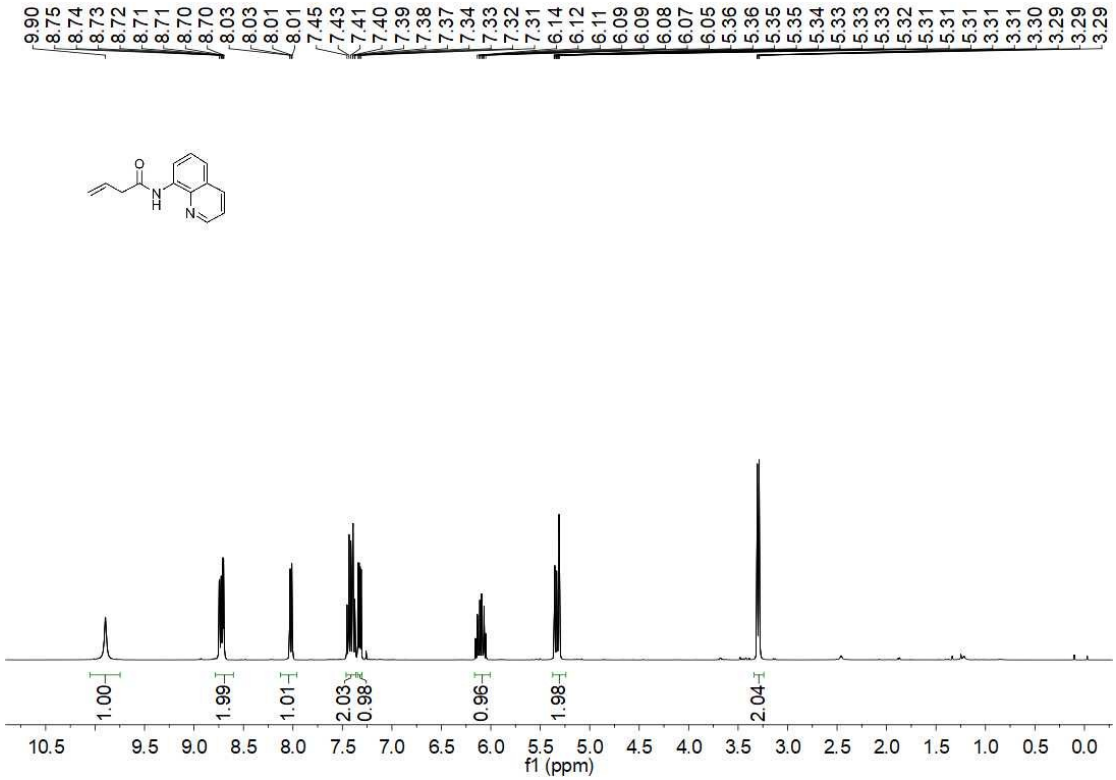
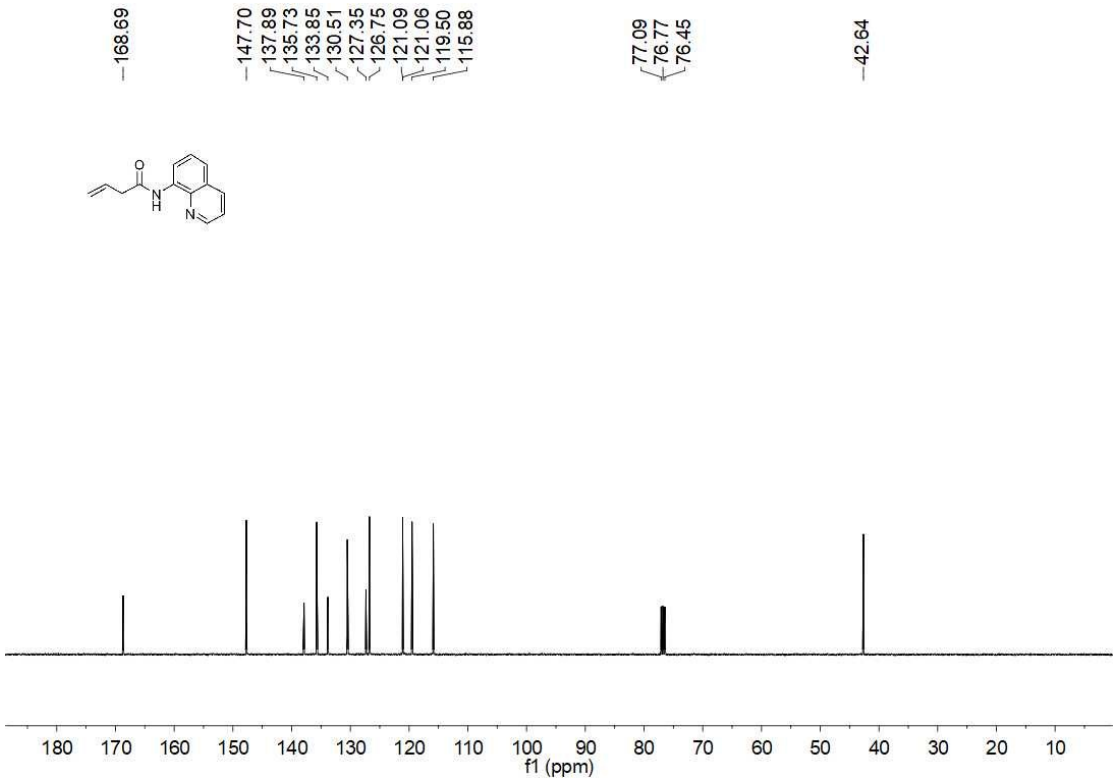
12. NMR spectra

^{1}H NMR of 1a



^{13}C NMR of 1a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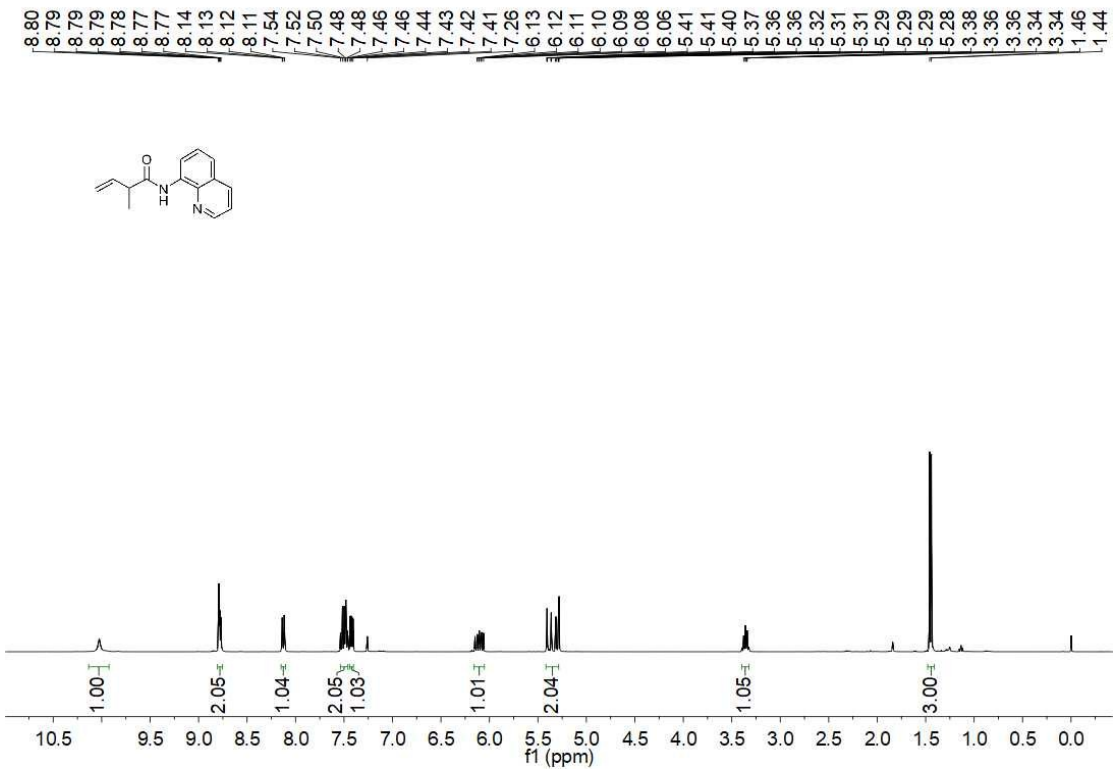
135.73
133.85
130.51
127.35
126.75
121.09
121.06
119.50
115.88
77.09
76.77
76.45
42.64
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

[1]H NMR of 1b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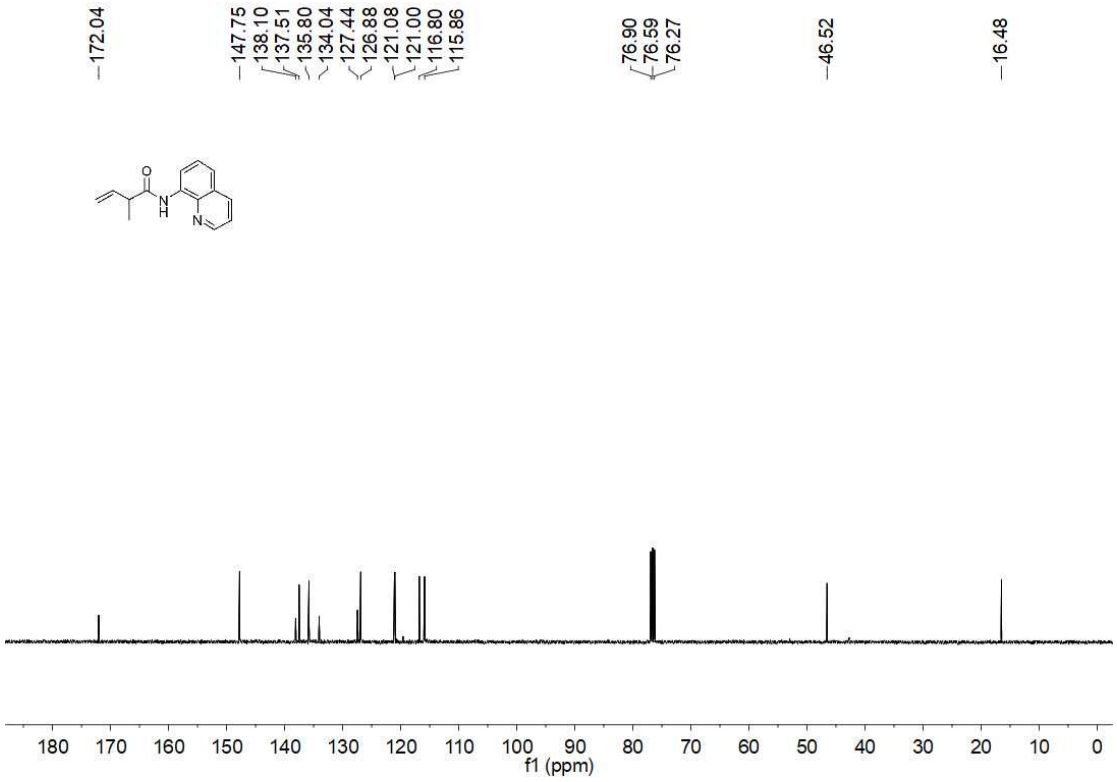
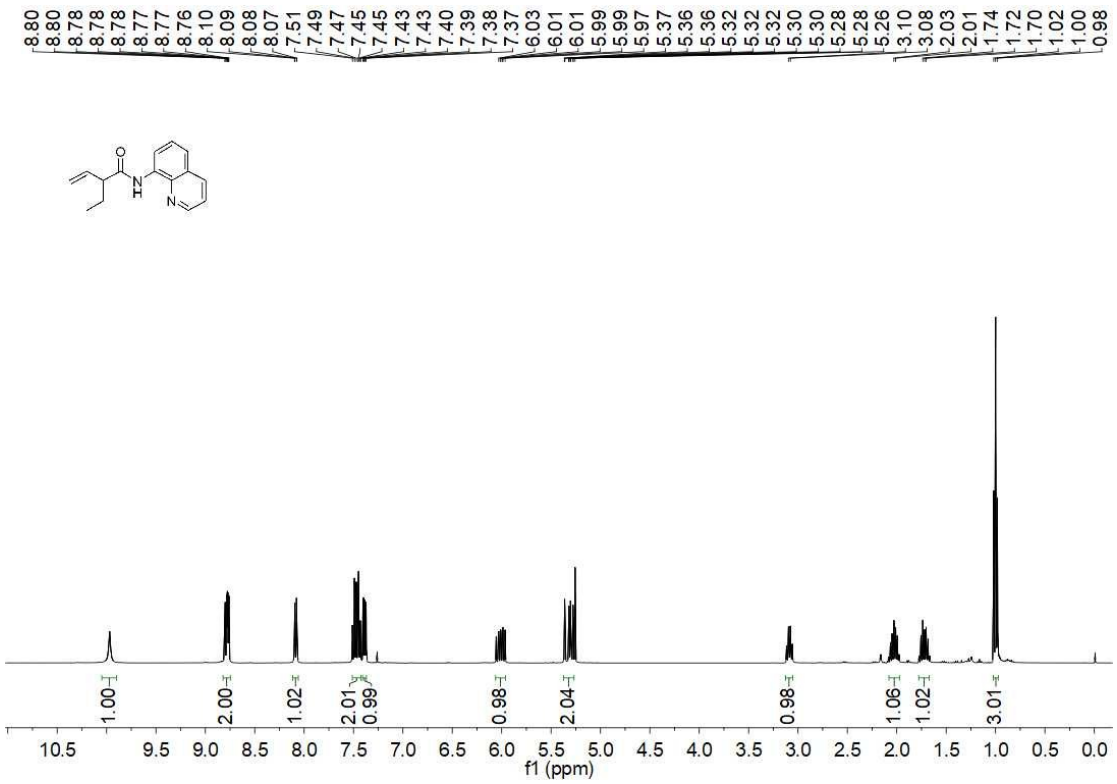
8.79
8.79
8.78
8.77
8.77
8.14
8.13
8.12
8.11
7.54
7.52
7.50
7.48
7.48
7.46
7.46
7.44
7.43
7.42
7.41
7.26
6.13
6.12
6.11
6.10
6.09
6.08
6.06
5.41
5.41
5.40
5.37
5.36
5.36
5.32
5.31
5.31
5.29
5.29
5.29
5.28
3.38
3.36
3.36
3.34
3.34
1.46
1.44
1.00
2.05
1.04
2.05
1.03
1.01
2.04
1.05
3.00
10.5 9.5 9.0 8.5 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
f1 (ppm)

^{13}C NMR of 1b



^{1}H NMR of 1c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8.08
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7.49
7.47
7.45
7.45
7.43
7.43
7.40
7.39
7.38
7.37
6.03
6.01
6.01
5.99
5.99
5.97
5.37
5.36
5.36
5.32
5.32
5.30
5.30
5.28
5.26
3.10
3.08
2.03
2.01
1.74
1.72
1.70
1.02
1.00
0.98
O
N
H
N
1.00
2.00
1.02
2.01
0.99
0.98
2.04
0.98
1.06
1.02
3.01
10.5
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
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2.5
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1.5
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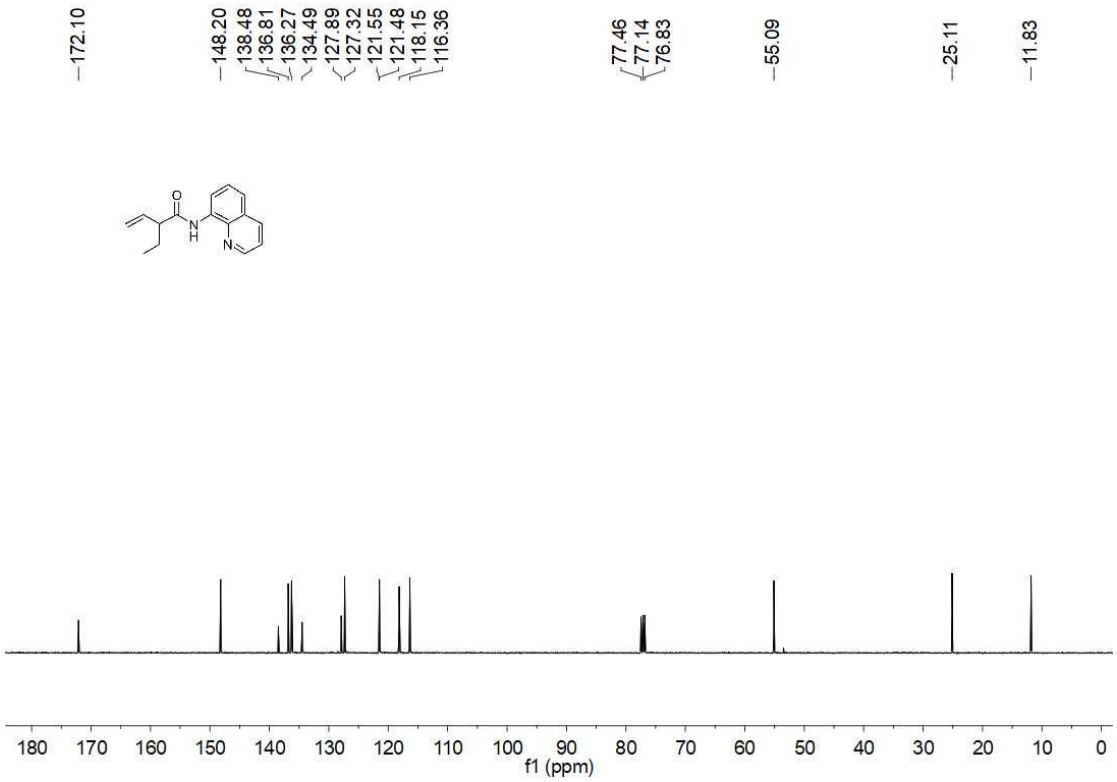
0.0
f1 (ppm)

^{13}C NMR of 1c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121.55
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77.14
76.83
55.09
25.11
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N
H
N
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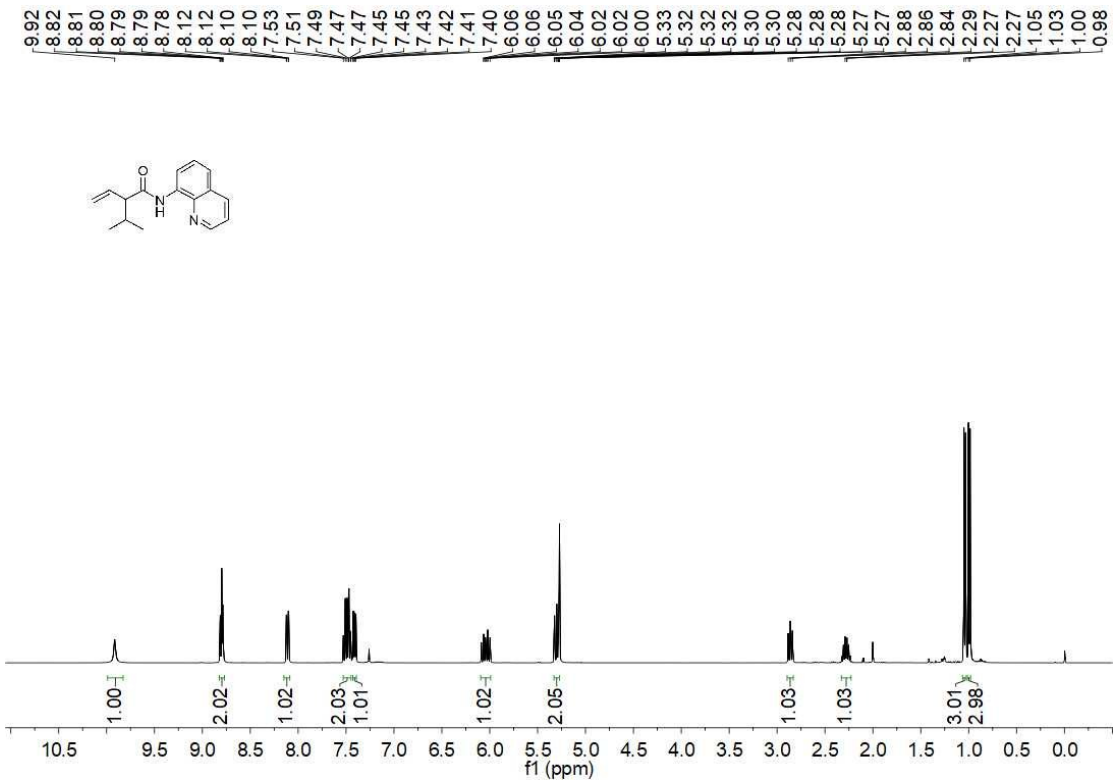
f1 (ppm)

^{1}H NMR of 1d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7.49
7.47
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7.45
7.45
7.43
7.42
7.41
7.40
6.06
6.06
6.05
6.04
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6.02
6.00
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5.28
5.27
5.27
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2.84
2.29
2.27
2.27
1.05
1.03
1.00
0.98
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N
H
N
1.00
2.02
1.02
2.03
1.01
1.02
2.05
1.03
1.03
3.01
2.98
10.5
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8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
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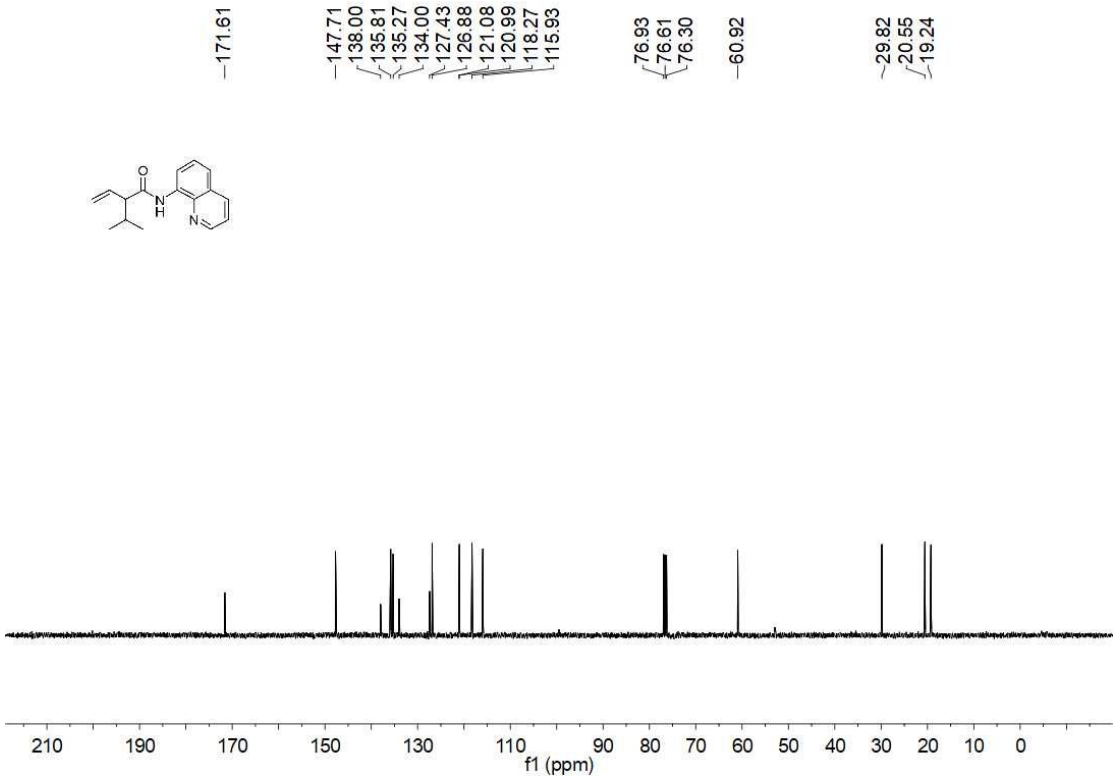
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

^{13}C NMR of 1d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118.27
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76.93
76.61
76.30
60.92
29.82
20.55
19.24
O
N
H
N
210
190
170
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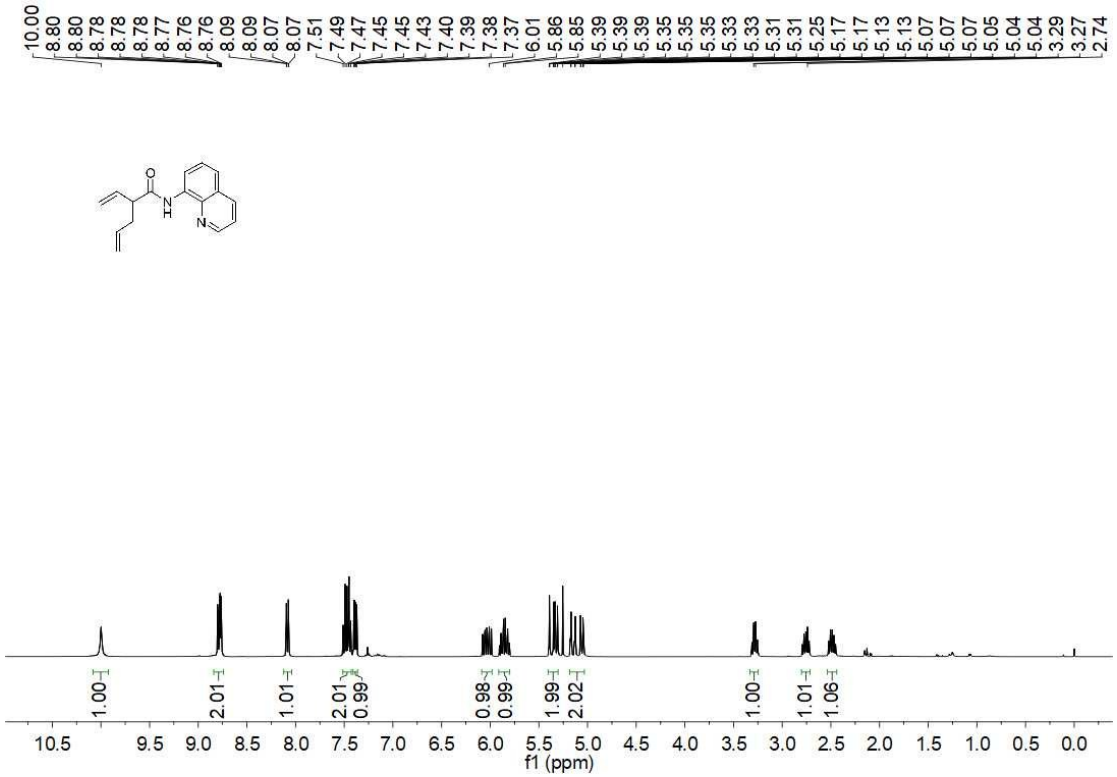
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 1e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8.09
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7.45
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5.39
5.39
5.35
5.35
5.35
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5.33
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5.31
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5.13
5.07
5.07
5.07
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5.04
3.29
3.27
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1.01
2.01
0.99
0.98
0.99
1.99
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1.00
1.01
1.06
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9.5
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7.5
7.0
6.5
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5.5
5.0
4.5
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1.5
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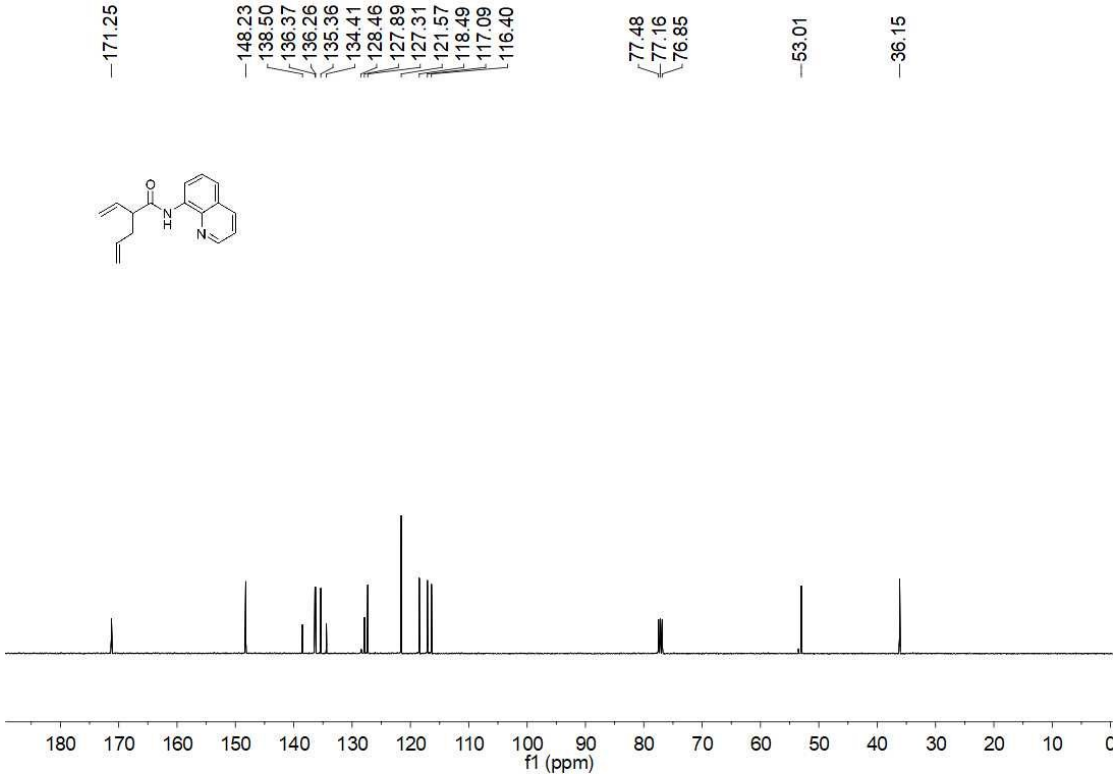
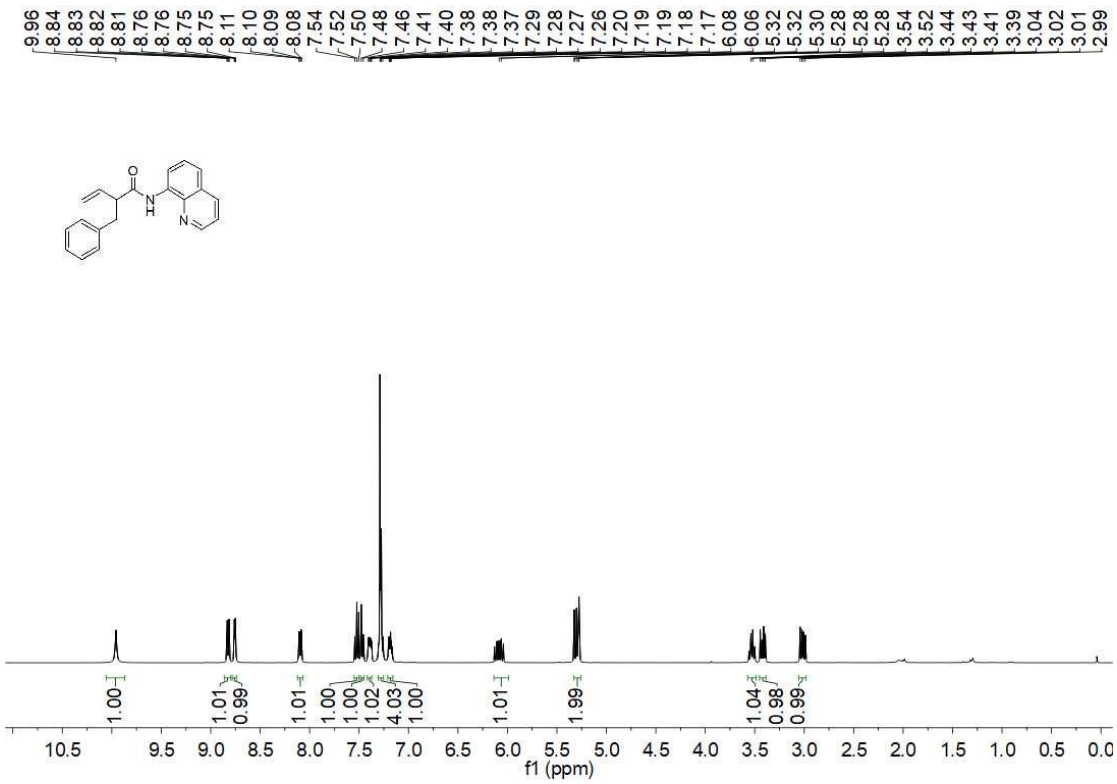
f1 (ppm)

^{13}C NMR of 1e



^{1}H NMR of 1f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8.09
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7.52
7.50
7.48
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7.40
7.38
7.37
7.29
7.28
7.27
7.26
7.20
7.19
7.19
7.18
7.17
6.08
6.06
5.32
5.32
5.30
5.28
5.28
5.28
3.54
3.52
3.44
3.43
3.41
3.39
3.04
3.02
3.01
2.99
O
N
H
N
1.00
1.01
0.99
1.01
1.00
1.00
1.02
4.03
1.00
1.01
1.99
1.04
0.98
0.99
10.5
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7.5
7.0
6.5
6.0
5.5
5.0
4.5
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2.5
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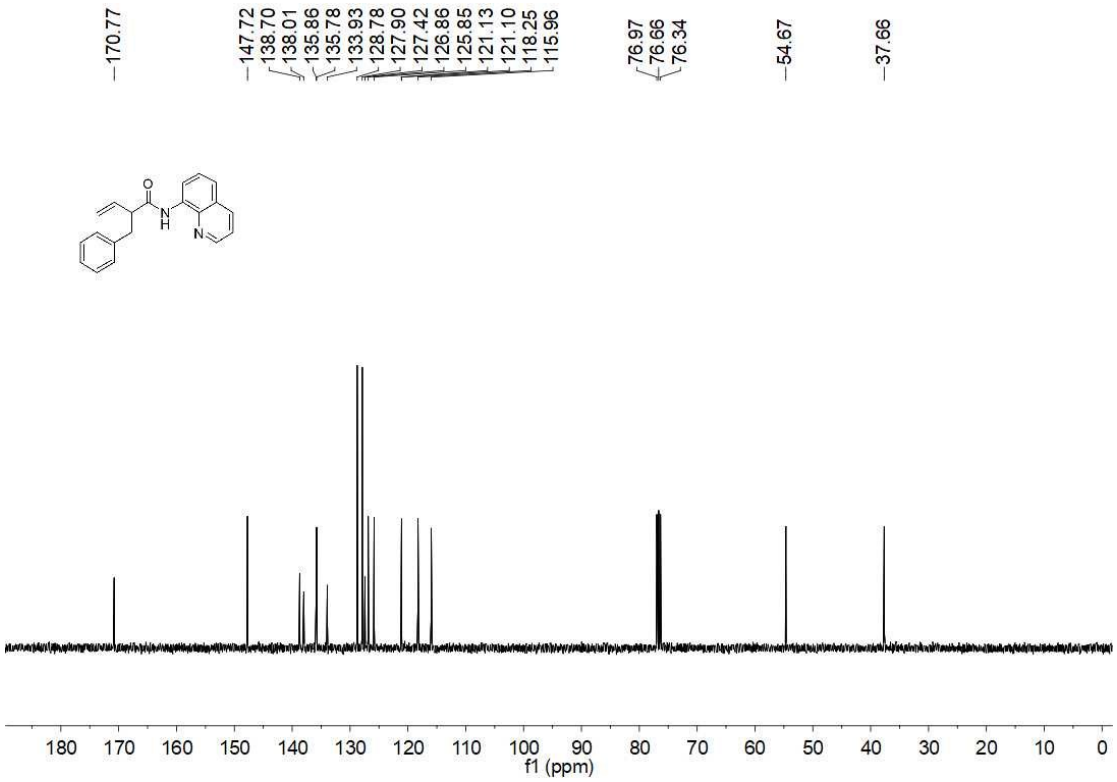
1.5
1.0
0.5
0.0
f1 (ppm)

^{13}C NMR of 1f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121.10
118.25
115.96
76.97
76.66
76.34
54.67
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O
H
N
N
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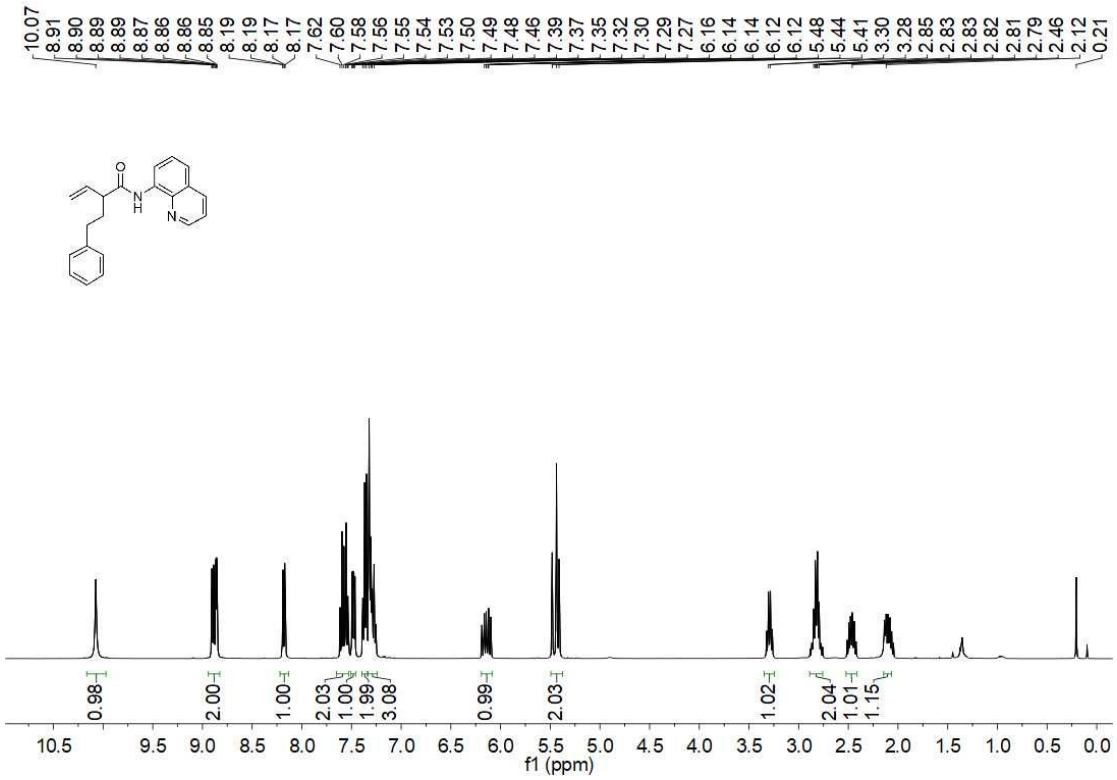
f1 (ppm)

^{1}H NMR of 1g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8.17
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7.55
7.54
7.53
7.50
7.49
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7.39
7.37
7.35
7.32
7.30
7.29
7.27
6.16
6.14
6.14
6.12
6.12
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5.41
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3.28
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2.83
2.82
2.81
2.79
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1.00
2.03
1.00
1.99
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0.99
2.03
1.02
2.04
1.01
1.15
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8.5
8.0
7.5
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6.5
6.0
5.5
5.0
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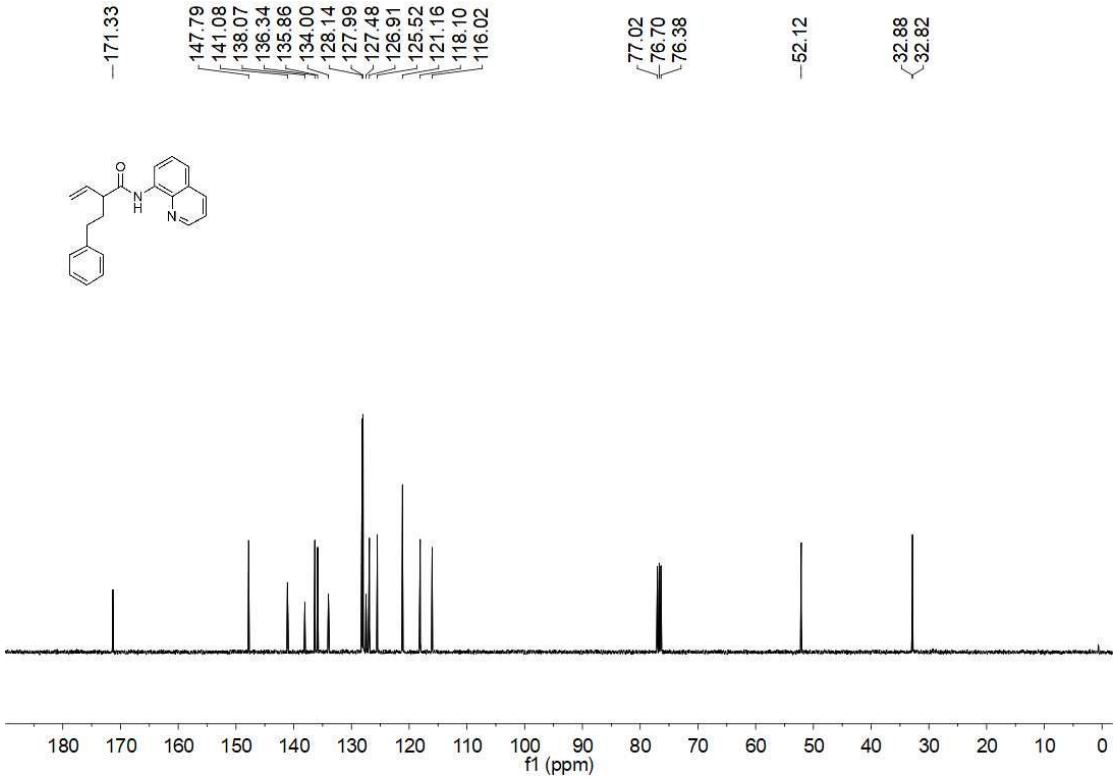
0.5
0.0
f1 (ppm)

^{13}C NMR of 1g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76.38
52.12
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N
H
N
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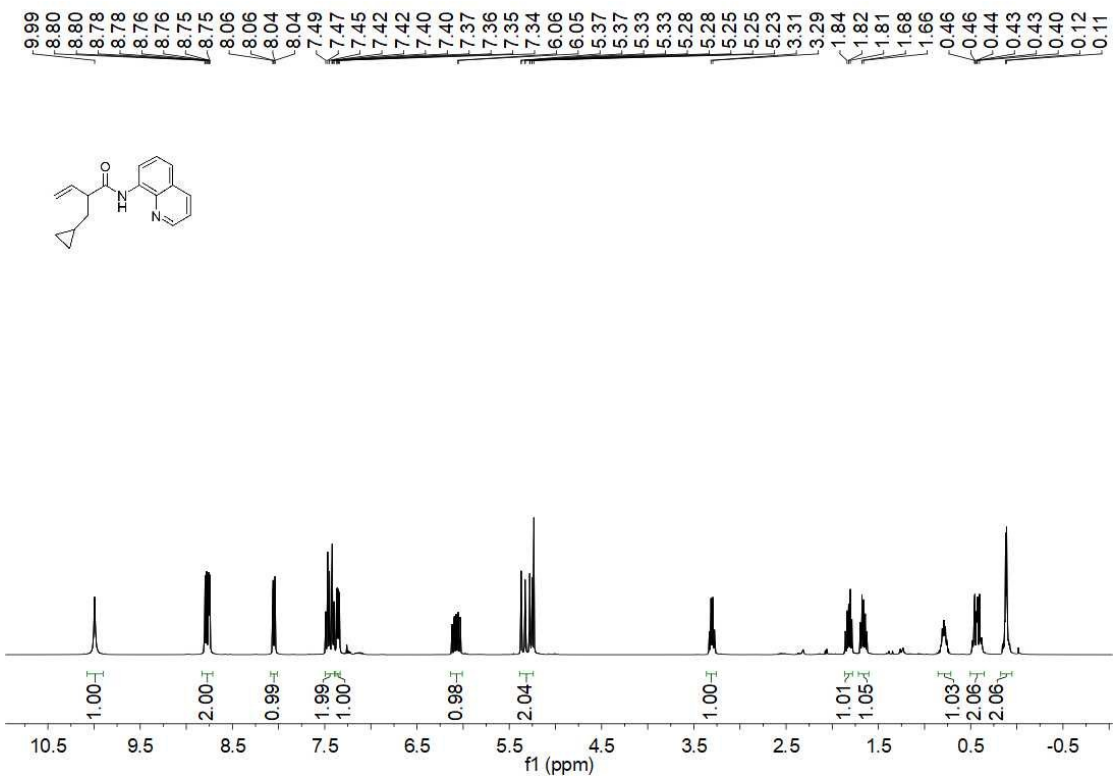
f1 (ppm)

^{1}H NMR of 1h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7.34
6.06
6.05
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5.37
5.33
5.28
5.28
5.25
5.25
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3.29
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1.00
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1.00
1.01
1.05
1.03
2.06
2.06
10.5
9.5
8.5
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4.5
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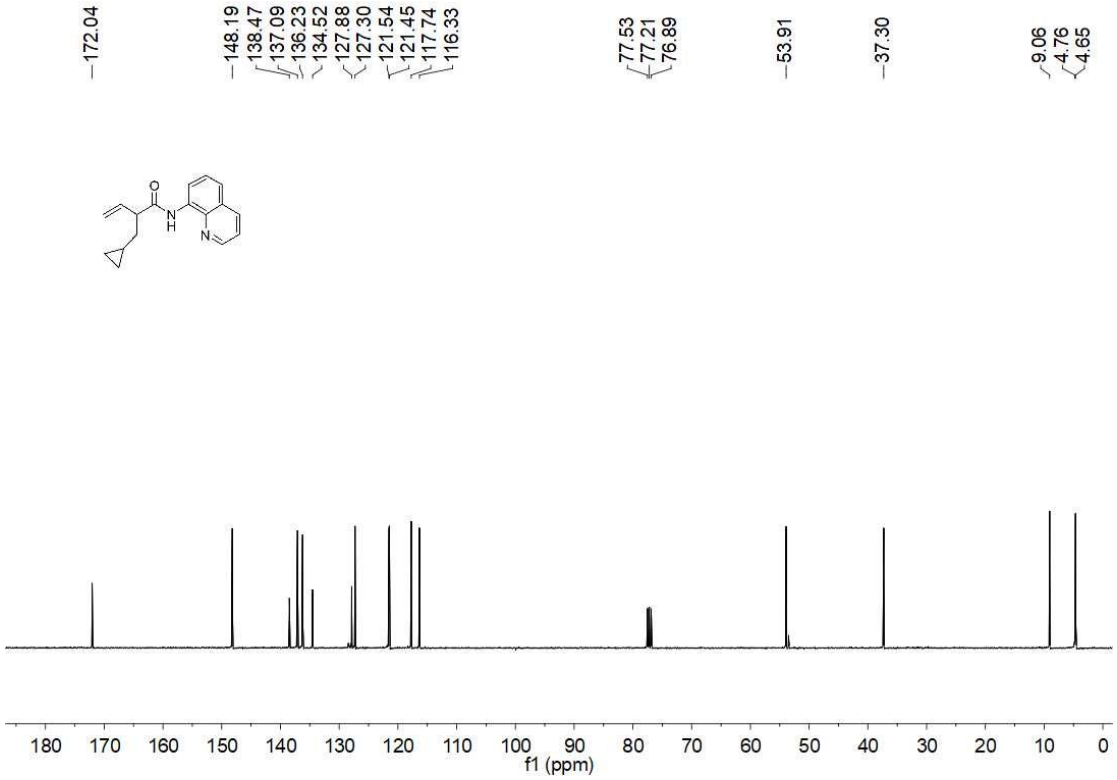
-0.5
f1 (ppm)

^{13}C NMR of 1h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37.30
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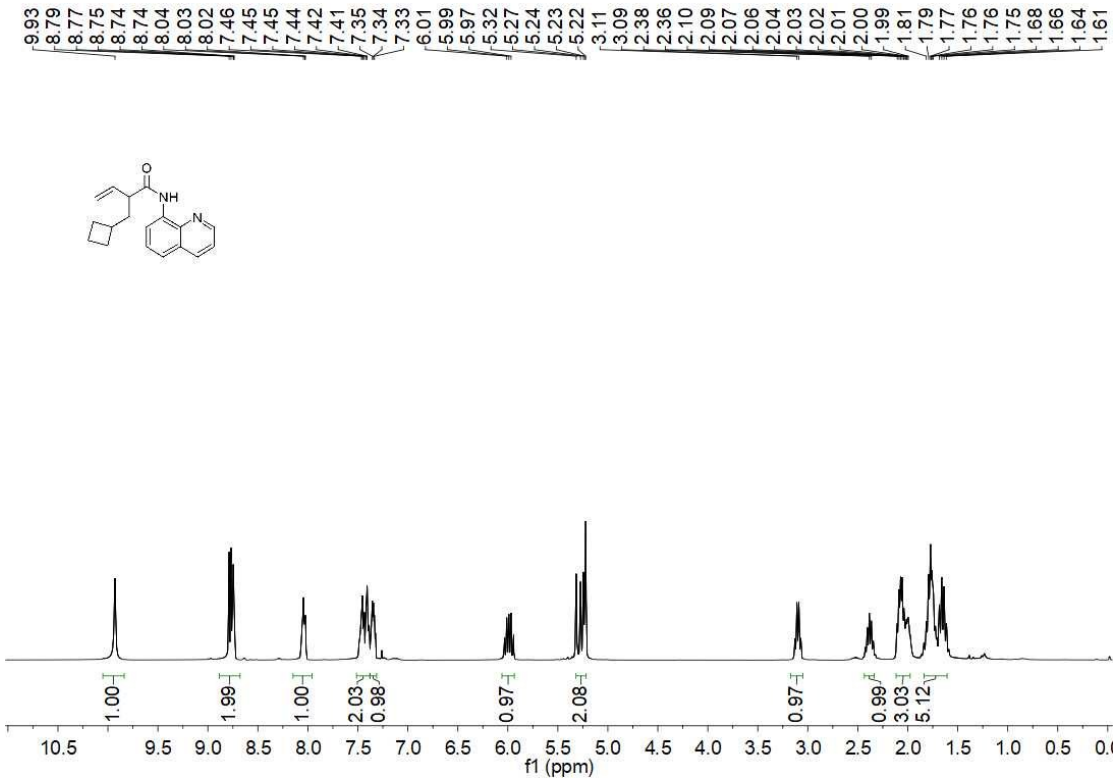
f1 (ppm)

^{1}H NMR of 1i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5.97
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5.23
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3.11
3.09
2.38
2.36
2.10
2.09
2.07
2.06
2.04
2.03
2.02
2.01
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O
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1.00
2.03
0.98
0.97
2.08
0.97
0.99
3.03
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10.5
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8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
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3.0
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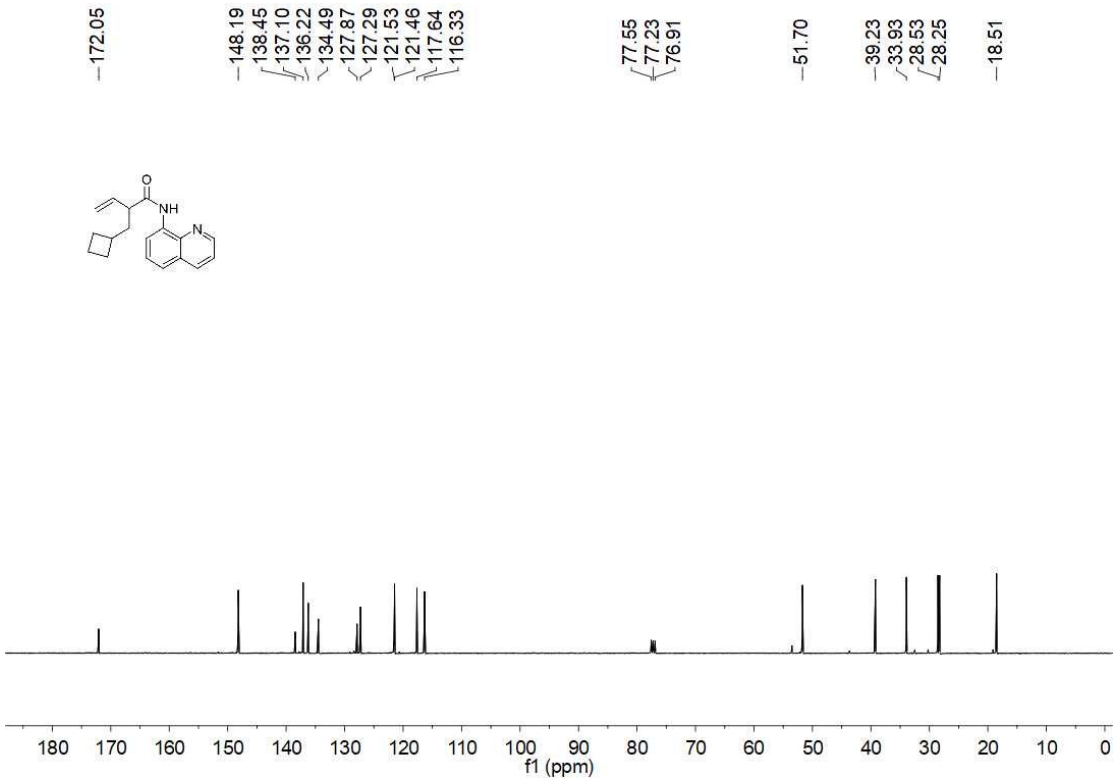
1.0
0.5
f1 (ppm)

^{13}C NMR of 1i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76.91
51.70
39.23
33.93
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28.25
18.51
O
NH
N
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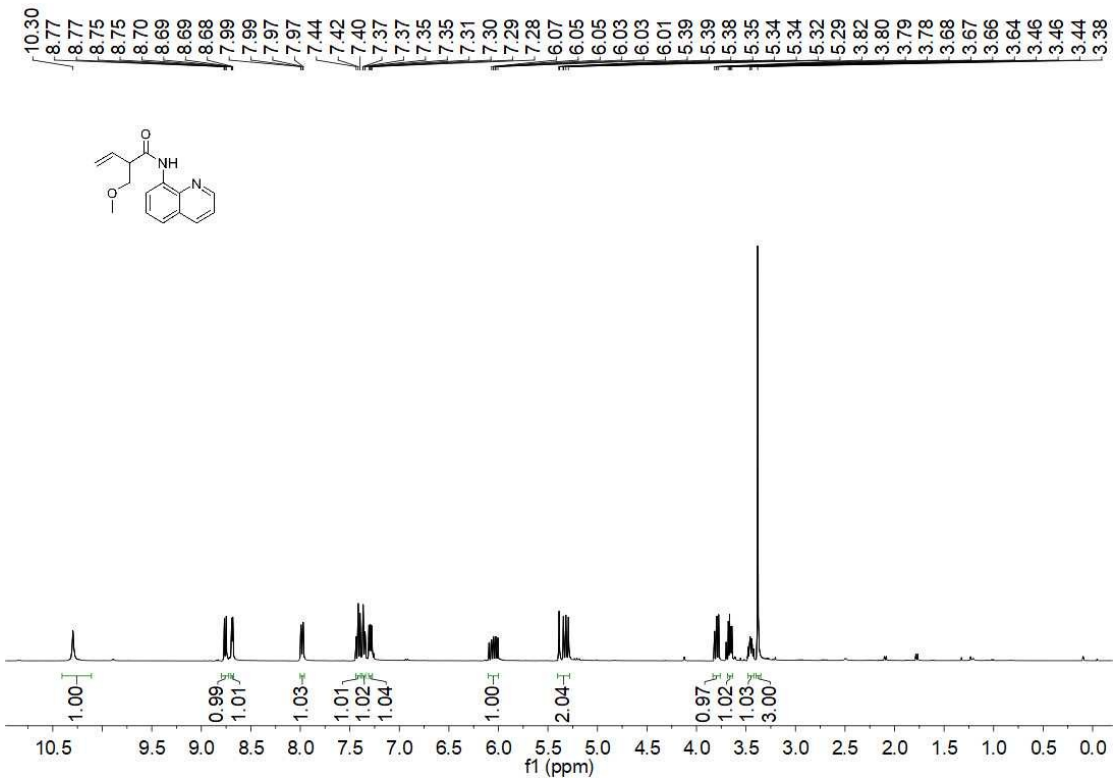
f1 (ppm)

^{1}H NMR of 1k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3.78
3.68
3.67
3.66
3.64
3.46
3.46
3.44
3.38
O
NH
N
O
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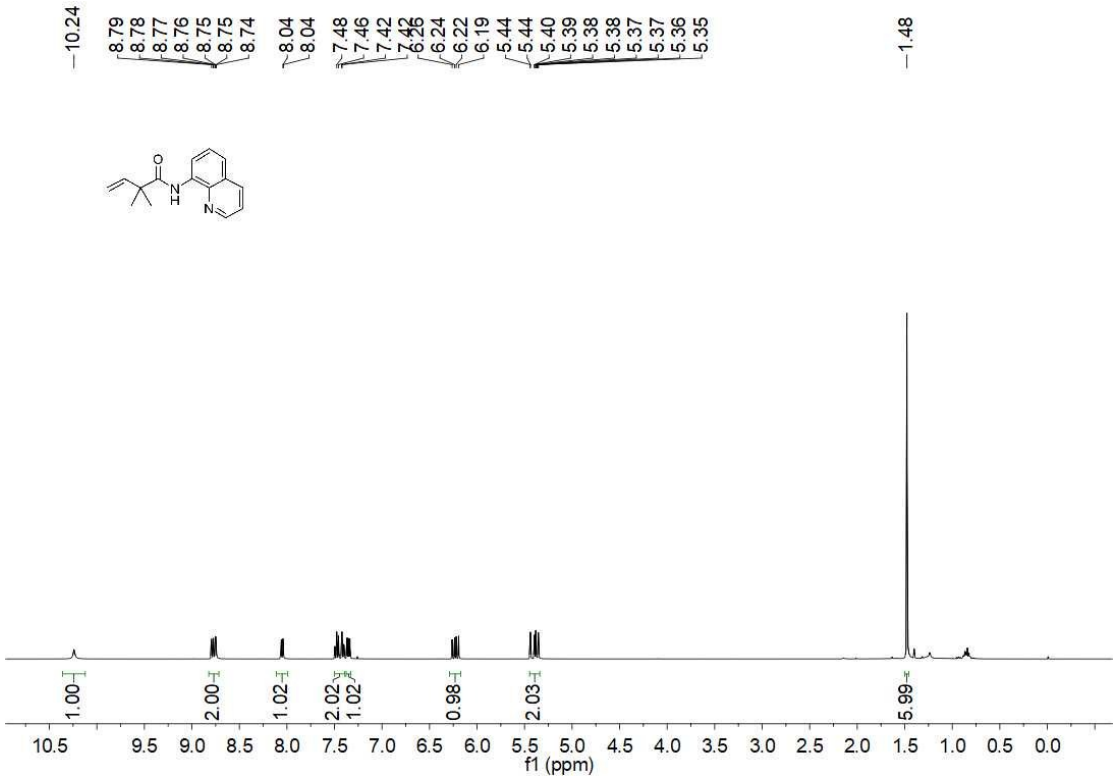
0.99
1.01
1.03
1.01
1.02
1.04
1.00
2.04
0.97
1.02
1.03
3.00
10.5
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

^{13}C NMR of 1k

^{1}H NMR of 1l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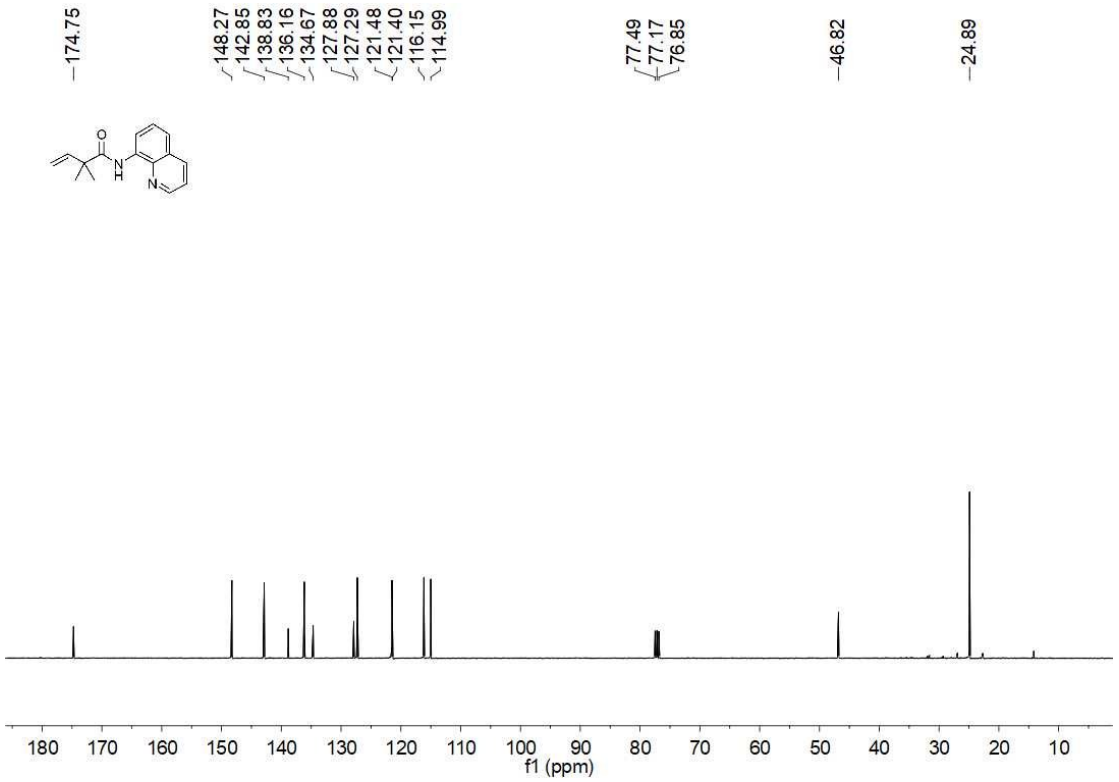
8.79
8.78
8.77
8.76
8.75
8.75
8.74
8.04
8.04
7.48
7.46
7.42
6.24
6.22
6.19
5.44
5.44
5.40
5.39
5.38
5.38
5.37
5.37
5.36
5.35
1.48
1.00
2.00
1.02
2.02
1.02
0.98
2.03
5.99
10.5
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

^{13}C NMR of 1l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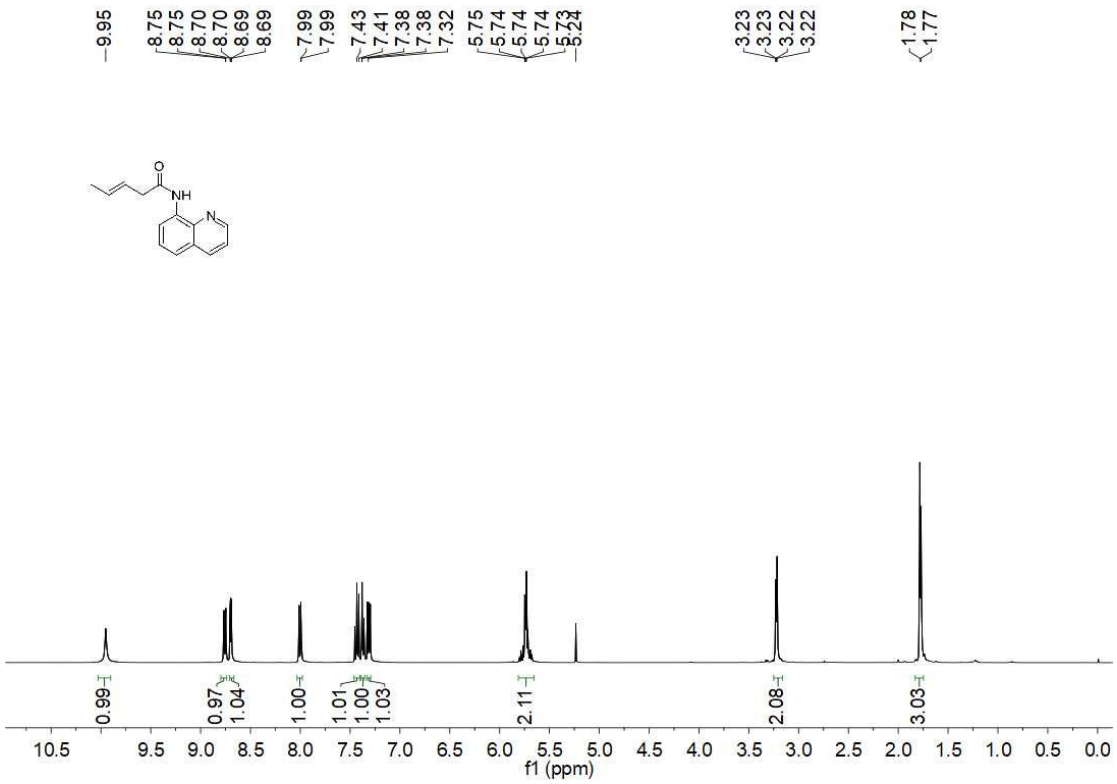
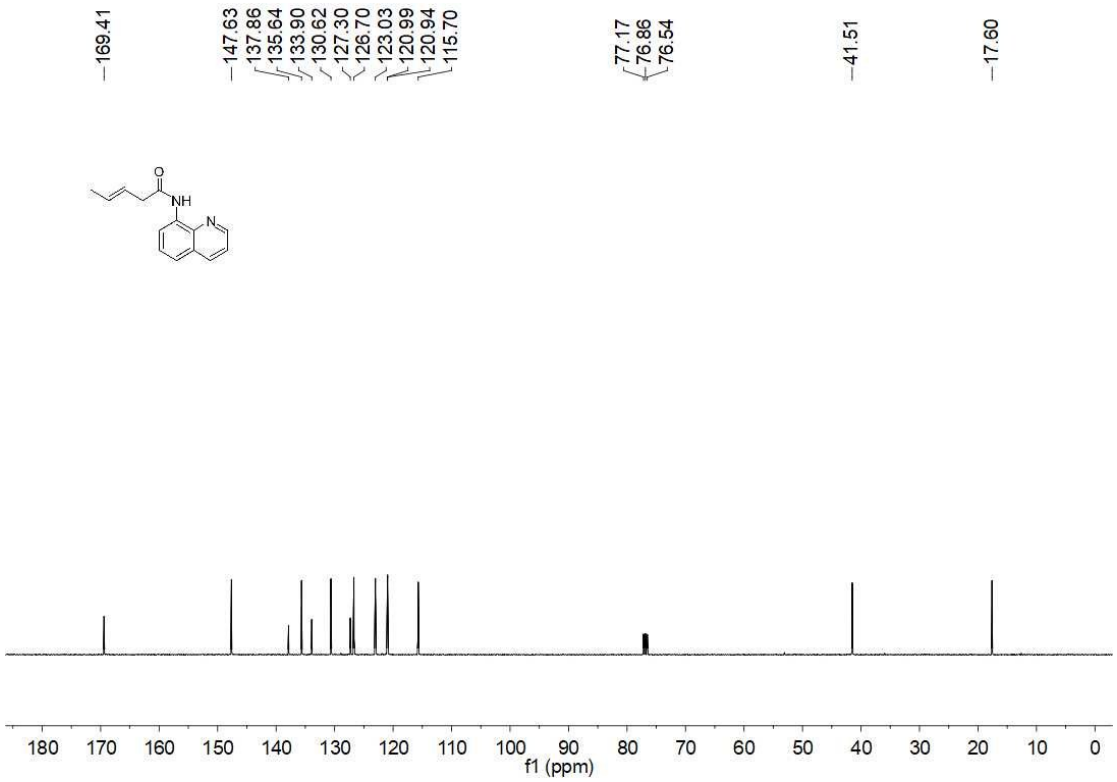
148.27
142.85
138.83
136.16
134.67
127.88
127.29
121.48
121.40
116.15
114.99
77.49
77.17
76.85
46.82
24.89
O
N
H
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

^{1}H NMR of 1m


9.95
8.75
8.75
8.70
8.70
8.69
8.69
7.99
7.99
7.43
7.41
7.38
7.38
7.32
5.75
5.74
5.74
5.74
5.73
5.24
3.23
3.23
3.22
3.22
1.78
1.77
O
NH
N
0.99
0.97
1.04
1.00
1.01
1.00
1.03
2.11
2.08
3.03
10.5
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
f1 (ppm)

^{13}C NMR of 1m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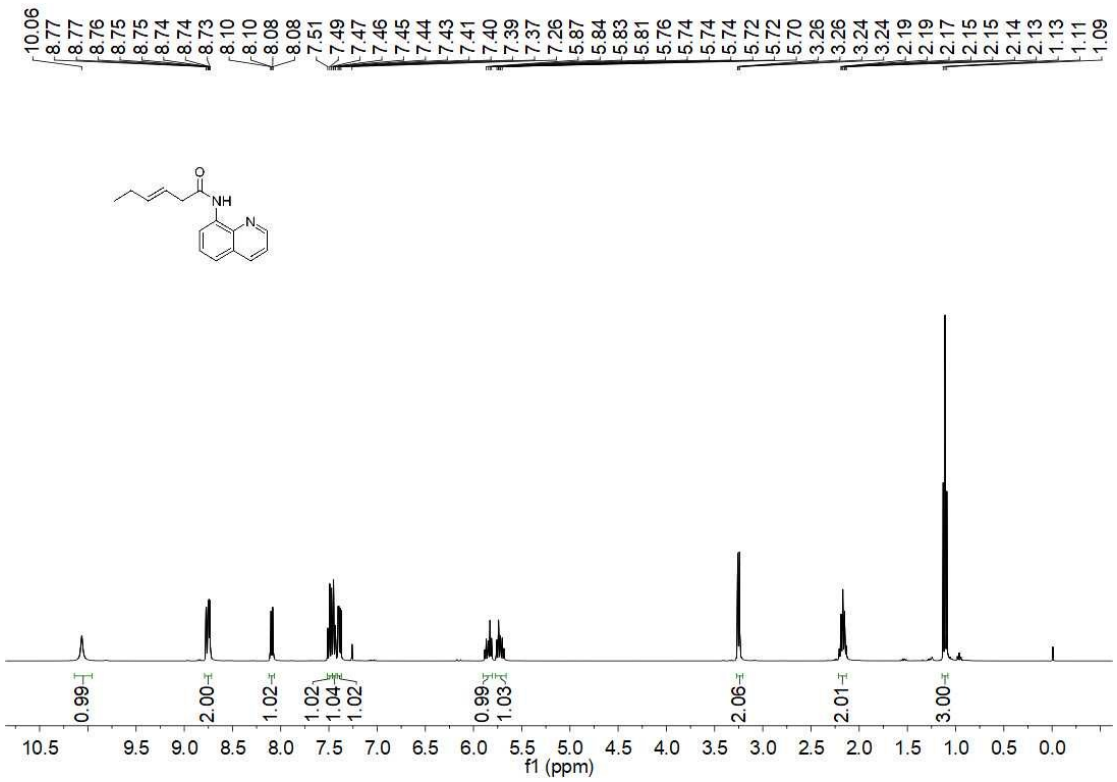
137.86
135.64
133.90
130.62
127.30
126.70
123.03
120.99
120.94
115.70
77.17
76.86
76.54
41.51
17.60
O
NH
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 1n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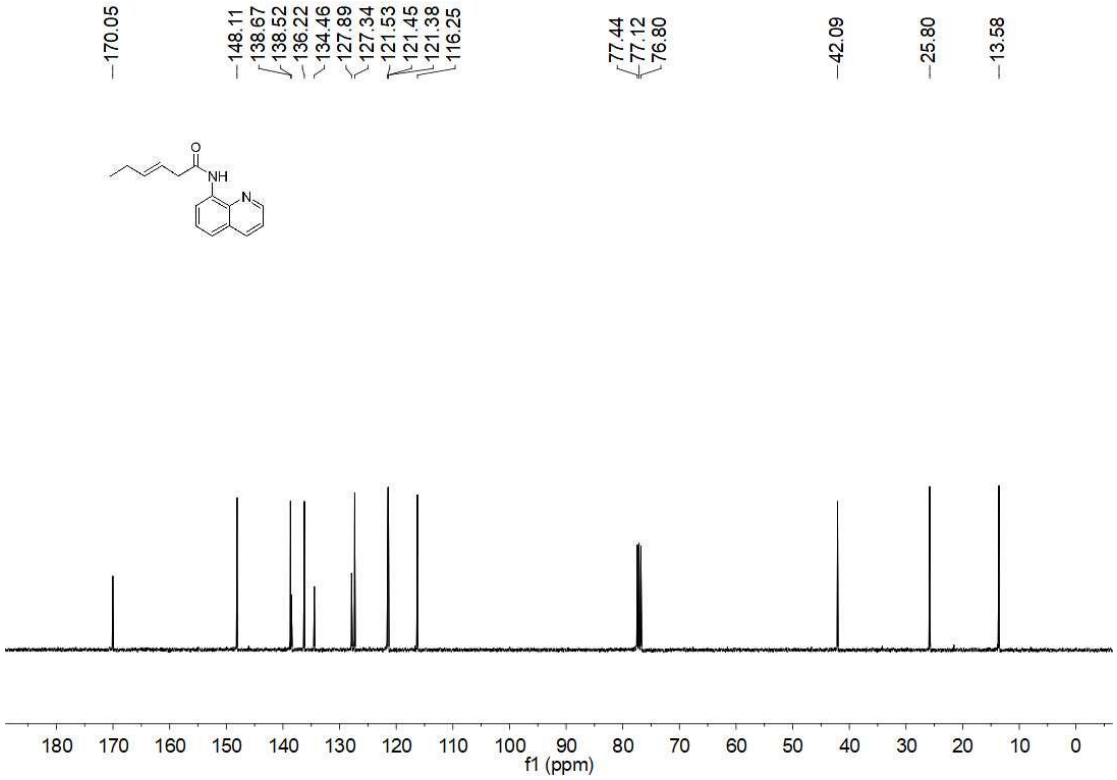
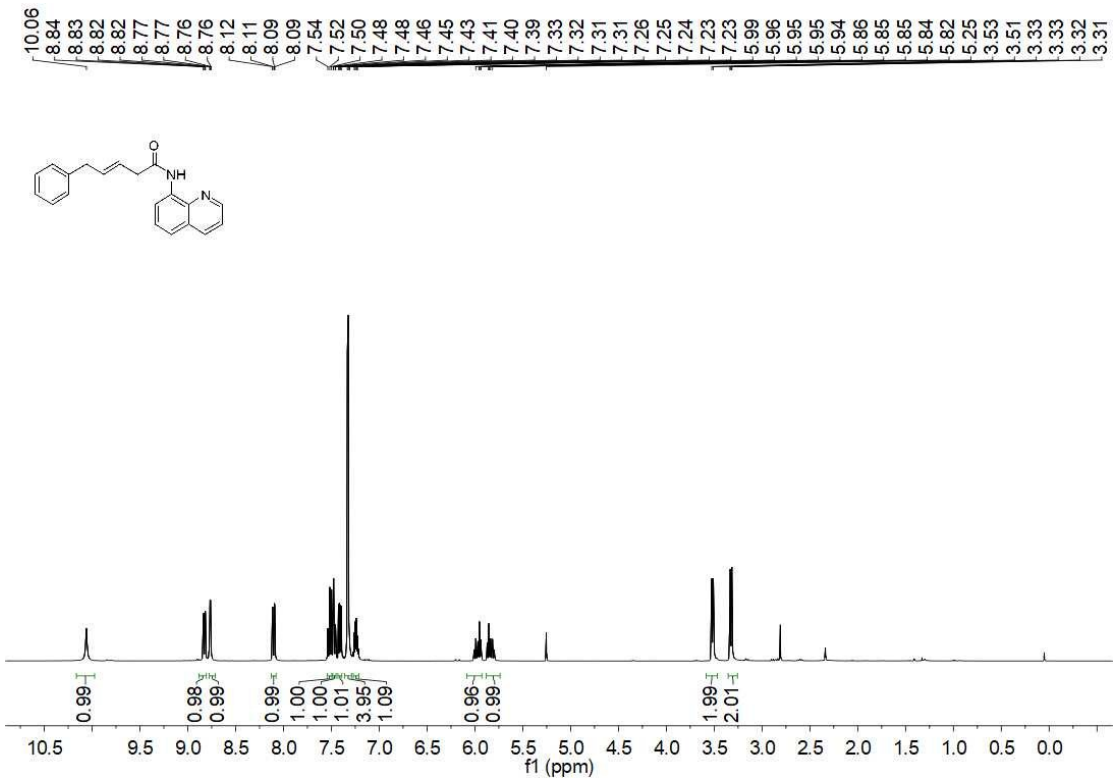
8.77
8.77
8.76
8.75
8.75
8.74
8.74
8.73
8.10
8.10
8.08
8.08
7.51
7.49
7.47
7.46
7.45
7.44
7.43
7.41
7.40
7.39
7.37
7.26
5.87
5.84
5.83
5.81
5.76
5.74
5.74
5.74
5.72
5.72
5.70
3.26
3.26
3.24
3.24
2.19
2.19
2.17
2.15
2.15
2.14
2.13
1.13
1.11
1.09
O
NH
N
0.99
2.00
1.02
1.02
1.04
1.02
0.99
1.03
2.06
2.01
3.00
10.5
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
f1 (ppm)

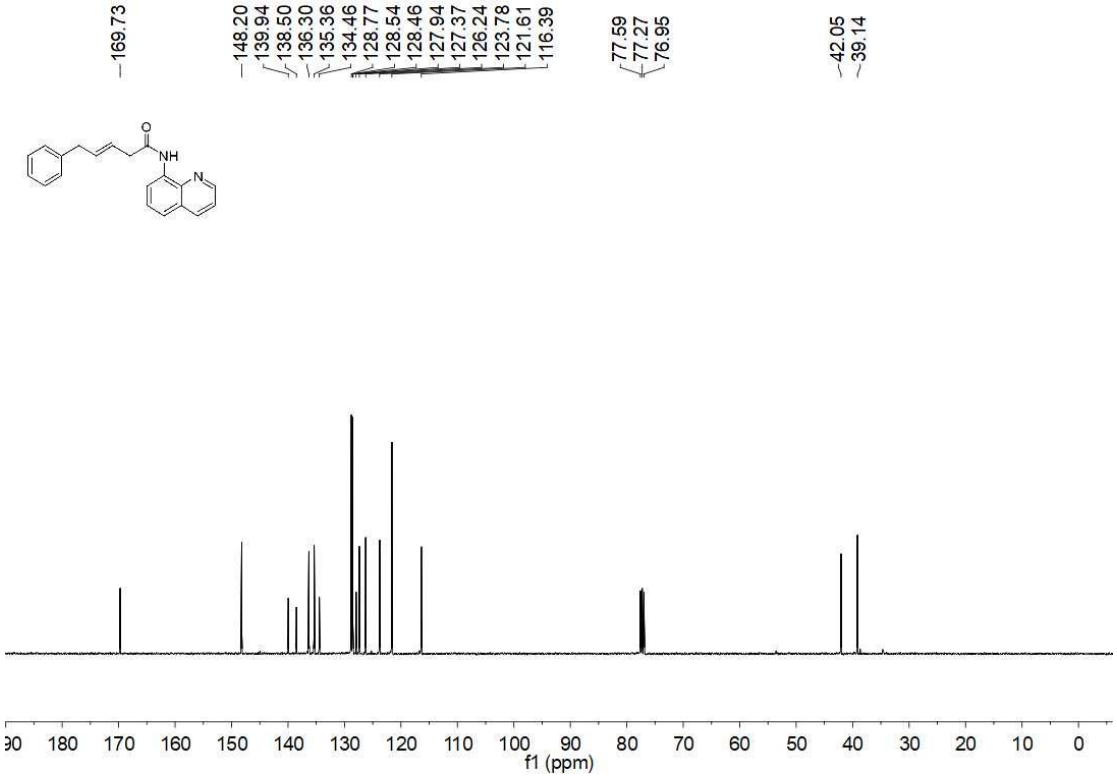
^{13}C NMR of 1n


170.05
148.11
138.67
138.52
136.22
134.46
127.89
127.34
121.53
121.45
121.38
116.25
77.44
77.12
76.80
42.09
25.80
13.58
O
NH
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 1o


10.06
8.84
8.83
8.82
8.82
8.77
8.77
8.76
8.76
8.12
8.11
8.09
8.09
7.54
7.52
7.50
7.48
7.48
7.46
7.45
7.43
7.41
7.40
7.39
7.33
7.32
7.31
7.31
7.26
7.25
7.24
7.23
7.23
5.99
5.96
5.95
5.95
5.94
5.86
5.85
5.85
5.84
5.82
5.25
3.53
3.51
3.33
3.33
3.32
3.31
O
NH
N
0.99
0.98
0.99
0.99
1.00
1.00
1.01
3.95
1.09
0.96
0.99
1.99
2.01
10.5
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
f1 (ppm)

^{13}C NMR of 1o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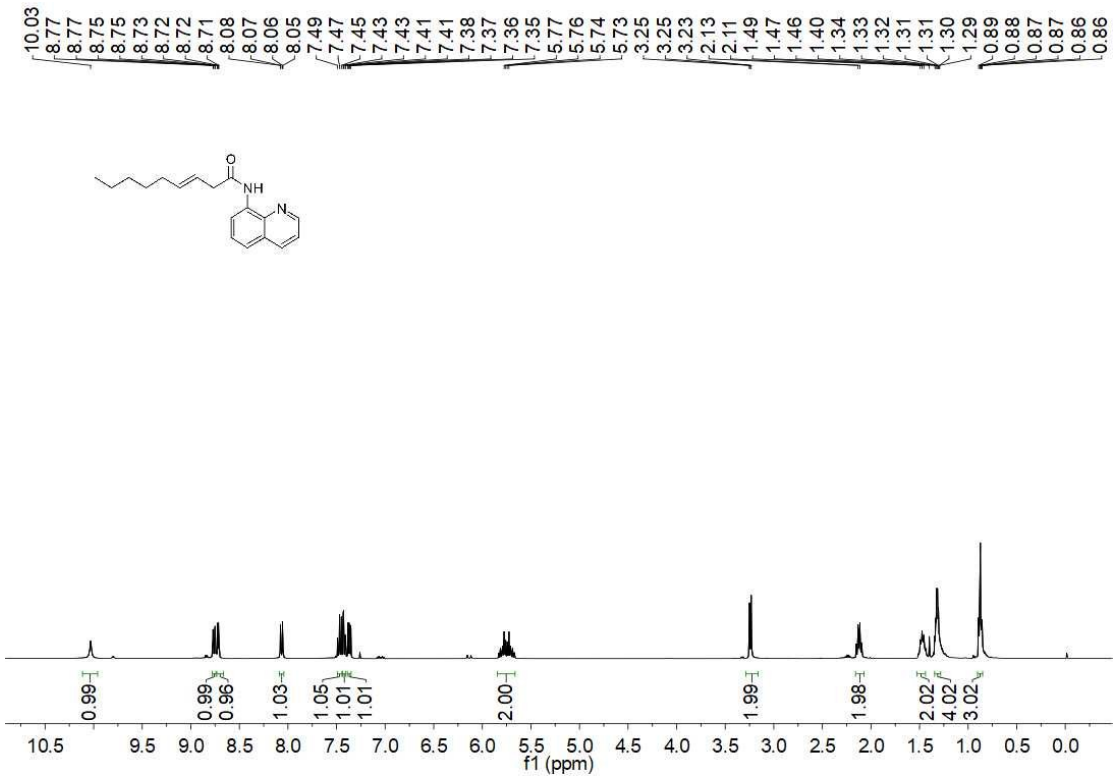
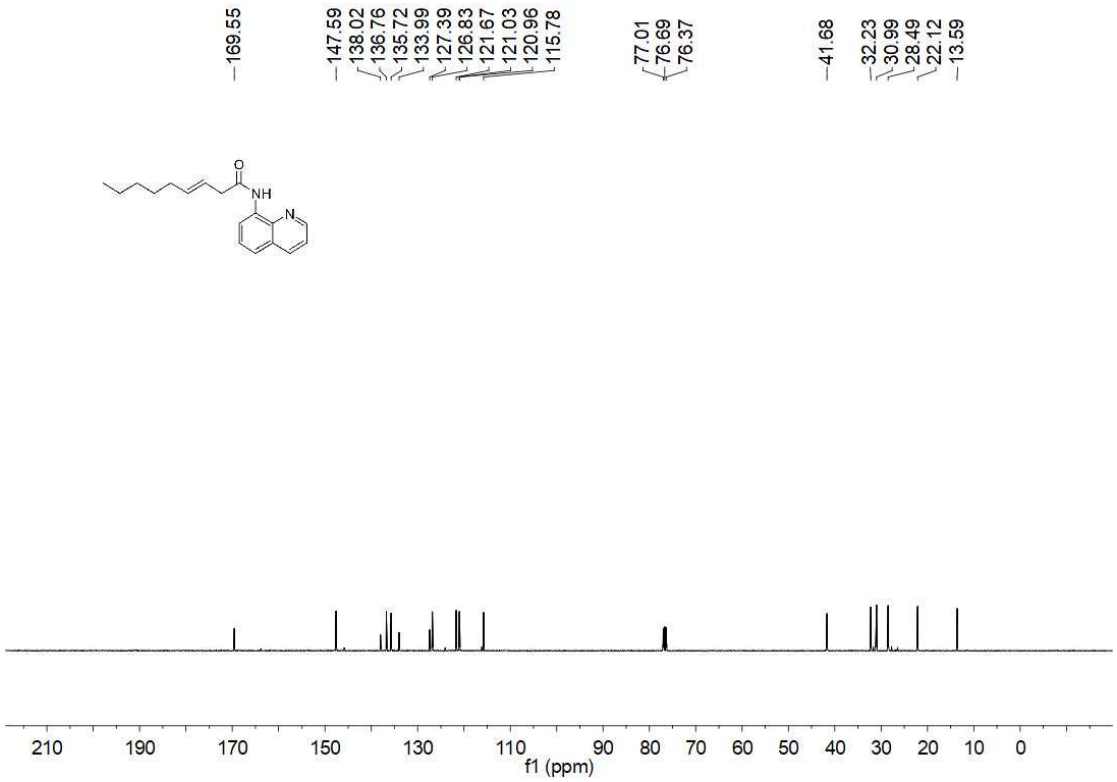
148.20
139.94
138.50
136.30
135.36
134.46
128.77
128.54
128.46
127.94
127.37
126.24
123.78
121.61
116.39
77.59
77.27
76.95
42.05
39.14
O
NH
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

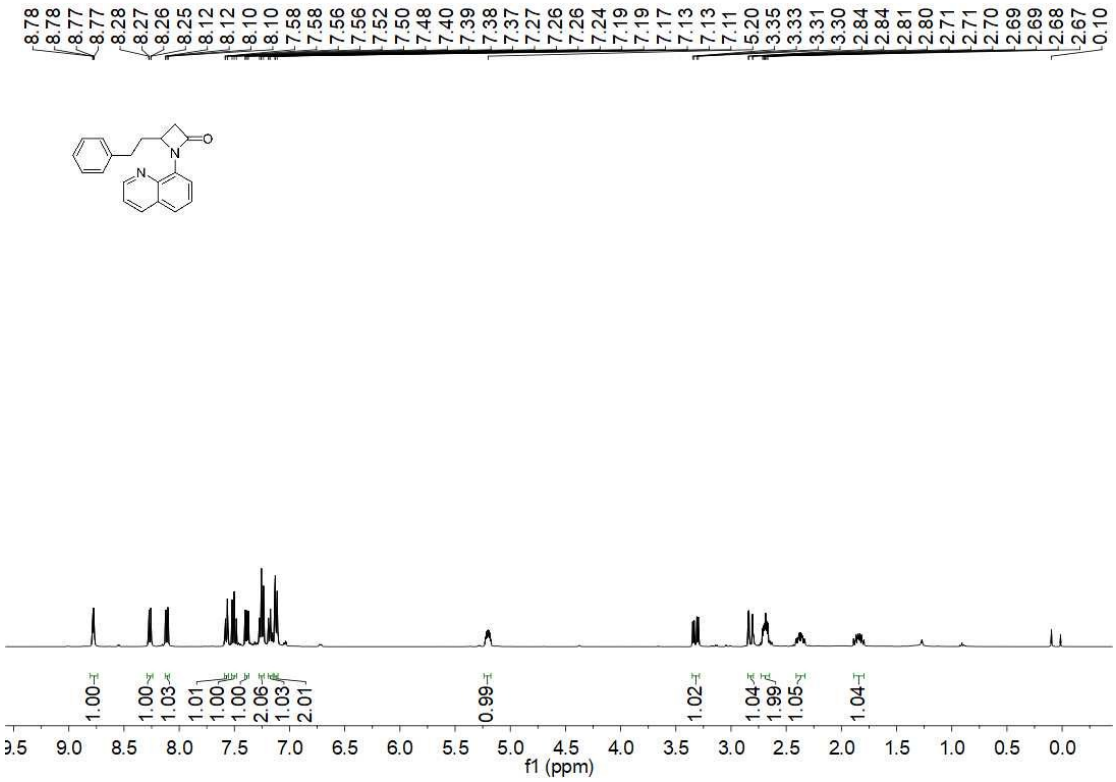
^{1}H NMR of 1p



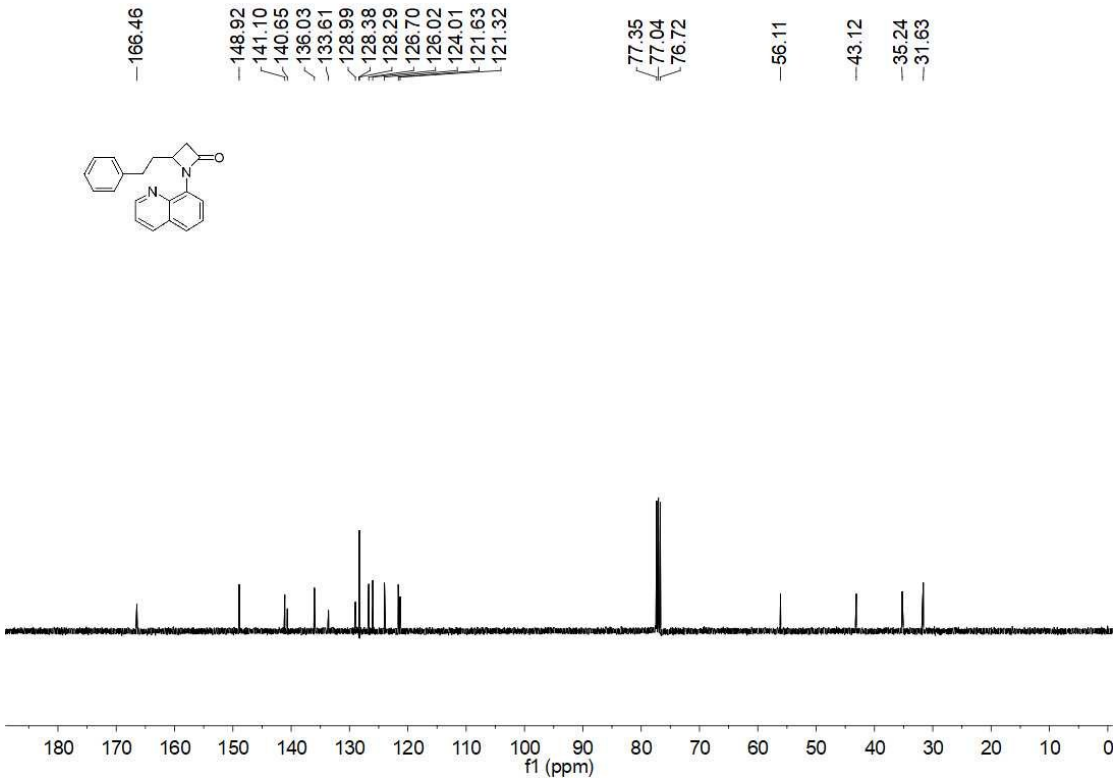
^{13}C NMR of 1p


169.55
147.59
138.02
136.76
135.72
133.99
127.39
126.83
121.67
121.03
120.96
115.78
77.01
76.69
76.37
41.68
32.23
30.99
28.49
22.12
13.59
O
NH
N
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 3a


8.78
8.78
8.77
8.77
8.28
8.27
8.26
8.25
8.12
8.12
8.10
8.10
7.58
7.58
7.56
7.56
7.52
7.50
7.48
7.40
7.39
7.38
7.37
7.27
7.26
7.24
7.19
7.19
7.17
7.13
7.13
7.11
5.20
3.35
3.33
3.31
3.30
2.84
2.84
2.81
2.80
2.71
2.71
2.70
2.69
2.69
2.68
2.67
0.10
O
N
N
1.00
1.00
1.03
1.01
1.00
2.06
1.03
2.01
0.99
1.02
1.04
1.99
1.05
1.04
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
f1 (ppm)

^{13}C NMR of 3a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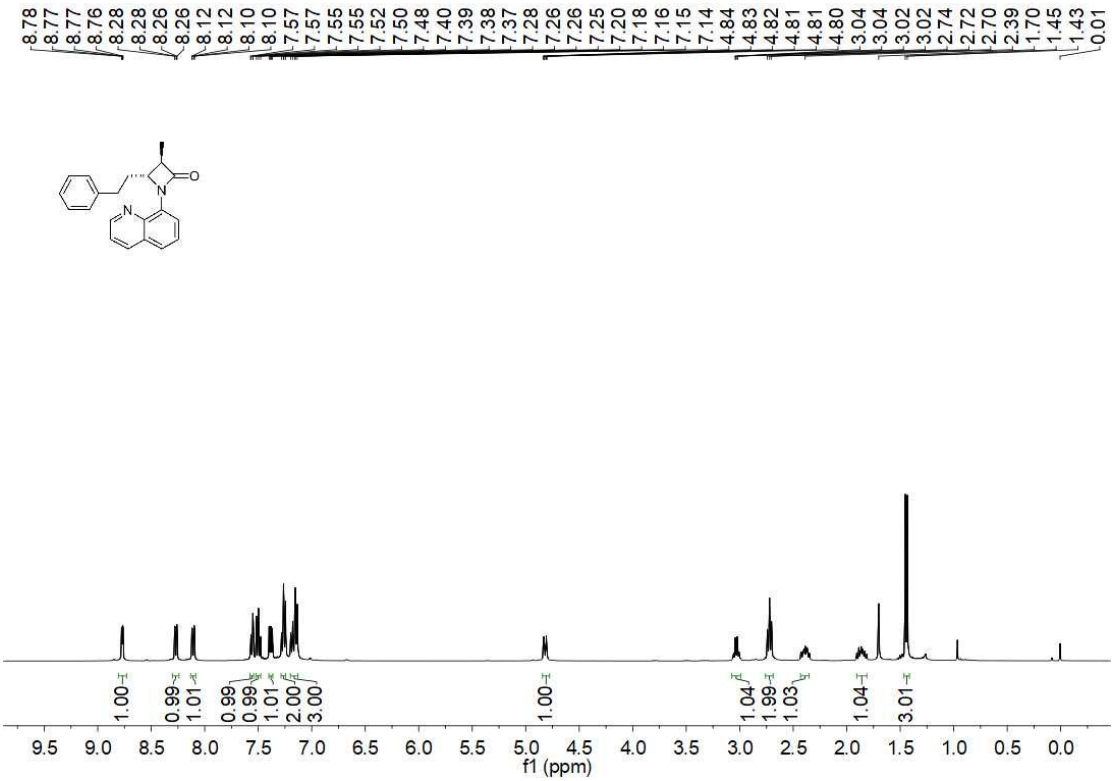
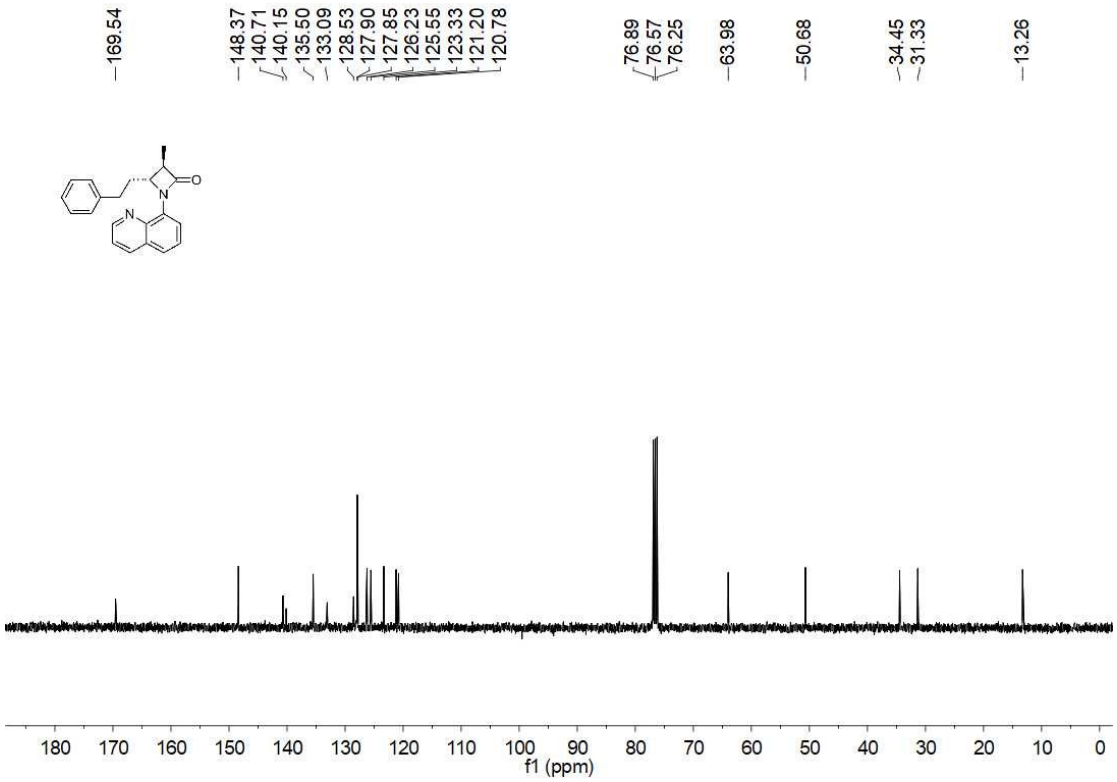
148.92
141.10
140.65
136.03
133.61
128.99
128.38
128.29
126.70
126.02
124.01
121.63
121.32
77.35
77.04
76.72
56.11
43.12
35.24
31.63
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3b


8.78
8.77
8.77
8.76
8.28
8.28
8.26
8.26
8.12
8.12
8.10
8.10
7.57
7.57
7.55
7.55
7.52
7.50
7.48
7.40
7.39
7.38
7.37
7.28
7.26
7.26
7.25
7.20
7.18
7.16
7.15
7.14
4.84
4.83
4.82
4.81
4.81
4.80
3.04
3.04
3.02
3.02
2.74
2.72
2.70
2.39
1.70
1.45
1.43
0.01
O
N
N
1.00
0.99
1.01
0.99
0.99
1.01
2.00
3.00
1.00
1.04
1.99
1.03
1.04
3.01
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
f1 (ppm)

^{13}C NMR of 3b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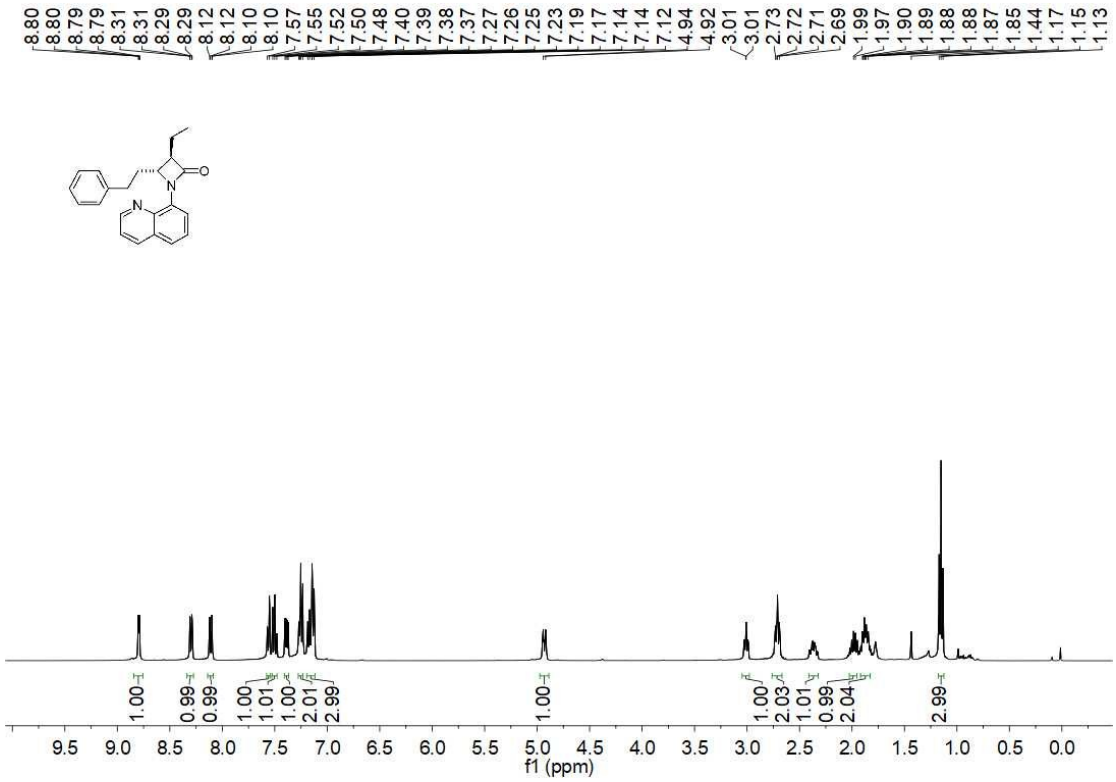
148.37
140.71
140.15
135.50
133.09
128.53
127.90
127.85
126.23
125.55
123.33
121.20
120.78
76.89
76.57
76.25
63.98
50.68
34.45
31.33
13.26
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3c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8.79
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8.31
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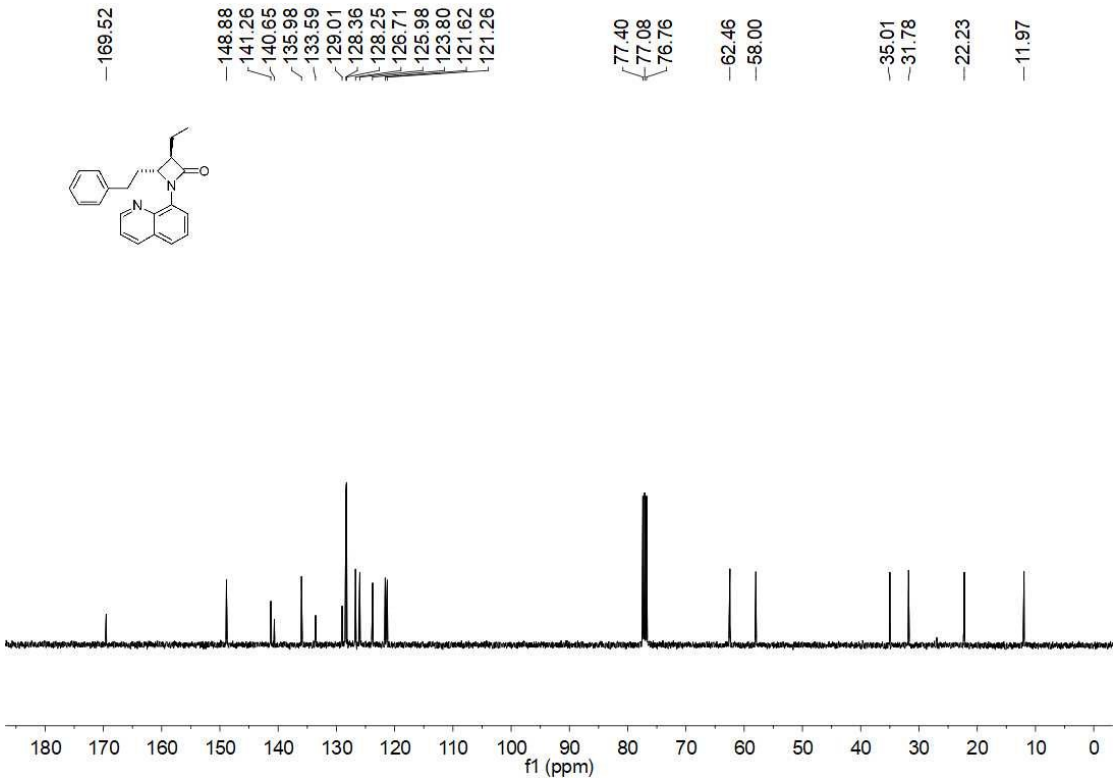
8.29
8.12
8.12
8.10
8.10
7.57
7.55
7.52
7.50
7.48
7.40
7.39
7.38
7.37
7.27
7.26
7.25
7.23
7.19
7.17
7.14
7.14
7.12
4.94
4.92
3.01
3.01
2.73
2.72
2.71
2.69
1.99
1.97
1.90
1.89
1.88
1.88
1.87
1.85
1.44
1.17
1.15
1.13
O
N
N
1.00
0.99
0.99
1.00
1.01
1.00
2.01
2.99
1.00
1.00
2.03
1.01
0.99
2.04
2.99
9.5
9.0
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
f1 (ppm)

^{13}C NMR of 3c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140.65
135.98
133.59
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128.36
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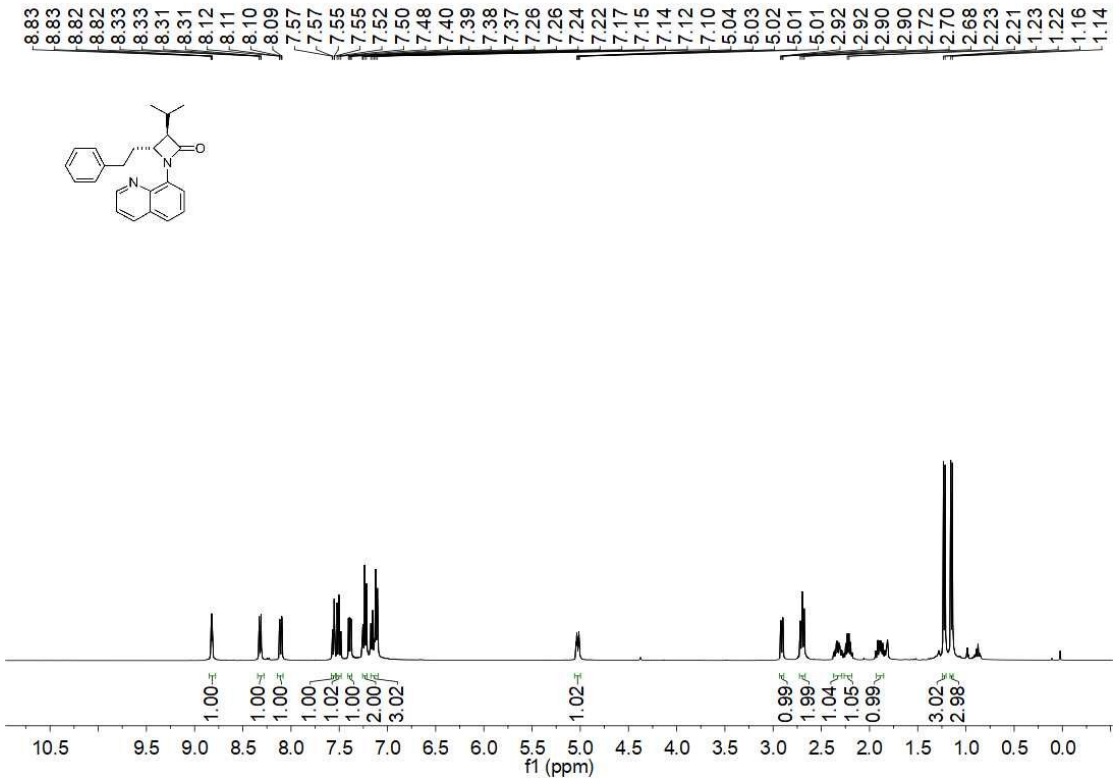
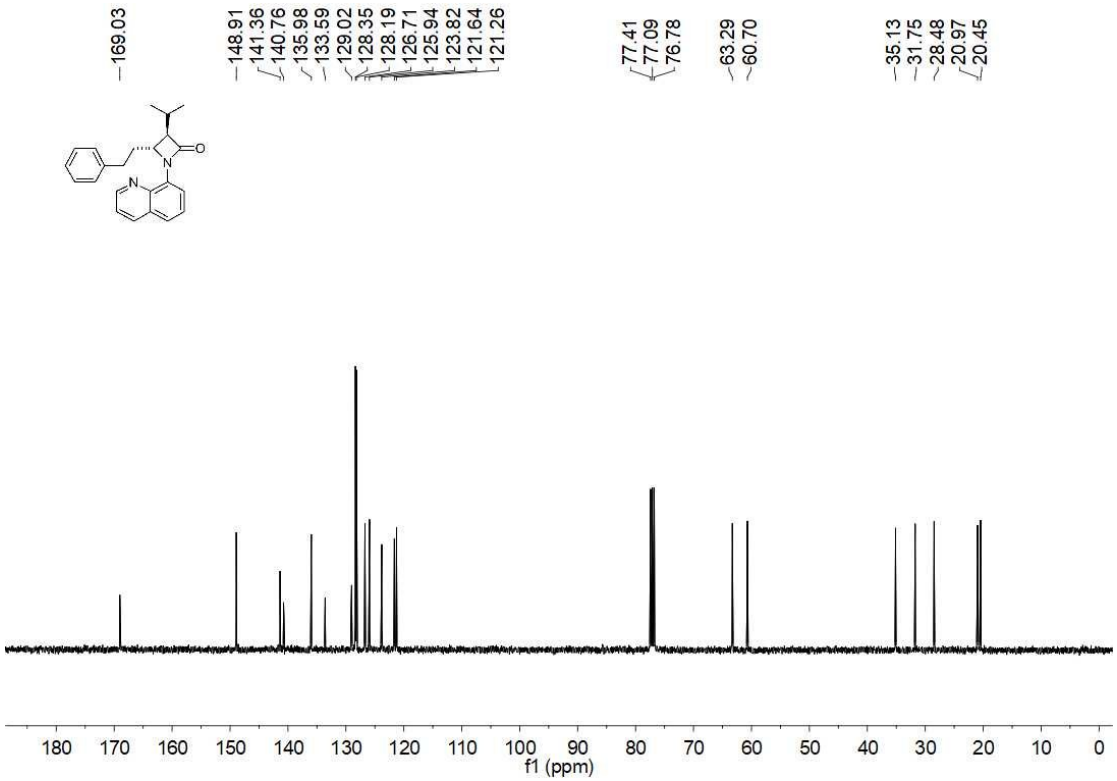
126.71
125.98
123.80
121.62
121.26
77.40
77.08
76.76
62.46
58.00
35.01
31.78
22.23
11.97
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3d


8.83
8.83
8.82
8.82
8.33
8.33
8.31
8.31
8.12
8.11
8.10
8.09
7.57
7.57
7.55
7.55
7.52
7.50
7.48
7.40
7.39
7.38
7.37
7.26
7.26
7.24
7.22
7.17
7.15
7.14
7.12
7.10
5.04
5.03
5.02
5.01
5.01
2.92
2.92
2.90
2.90
2.72
2.70
2.68
2.23
2.21
1.23
1.22
1.16
1.14
O
N
N
1.00
1.00
1.00
1.02
1.00
2.00
3.02
1.02
0.99
1.99
1.04
1.05
0.99
3.02
2.98
10.5
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
f1 (ppm)

^{13}C NMR of 3d


169.03
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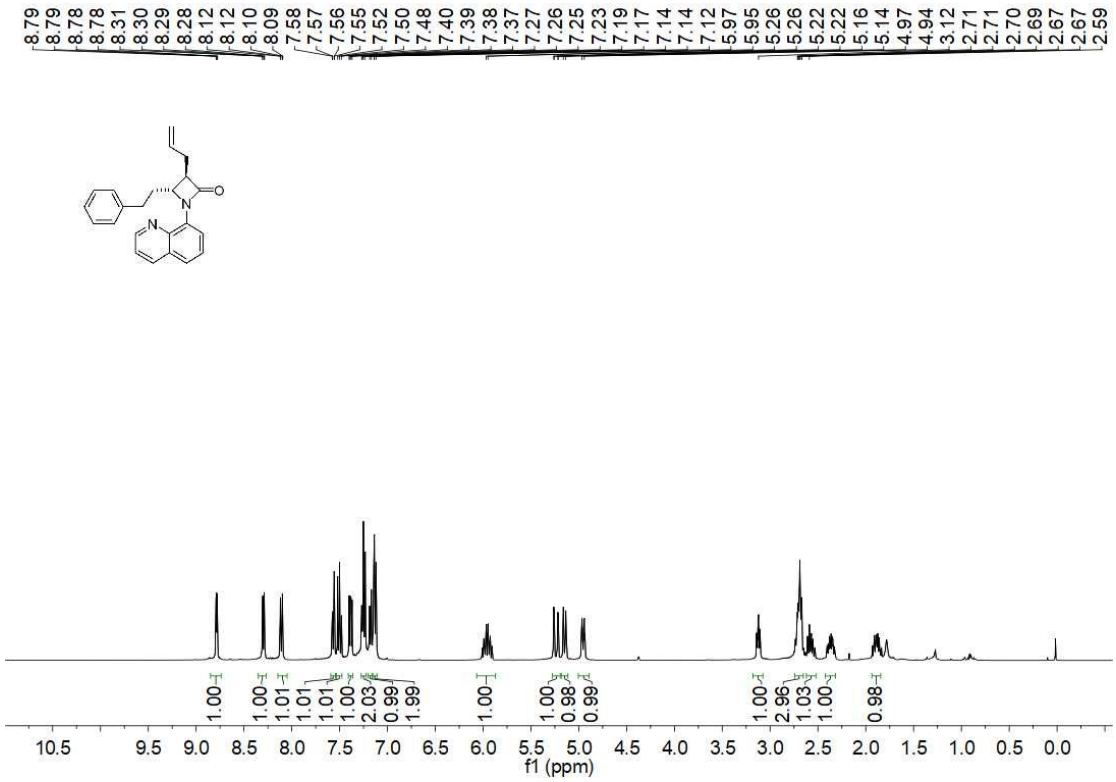
135.98
133.59
129.02
128.35
128.19
126.71
125.94
123.82
121.64
121.26
77.41
77.09
76.78
63.29
60.70
35.13
31.75
28.48
20.97
20.45
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 3e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8.79
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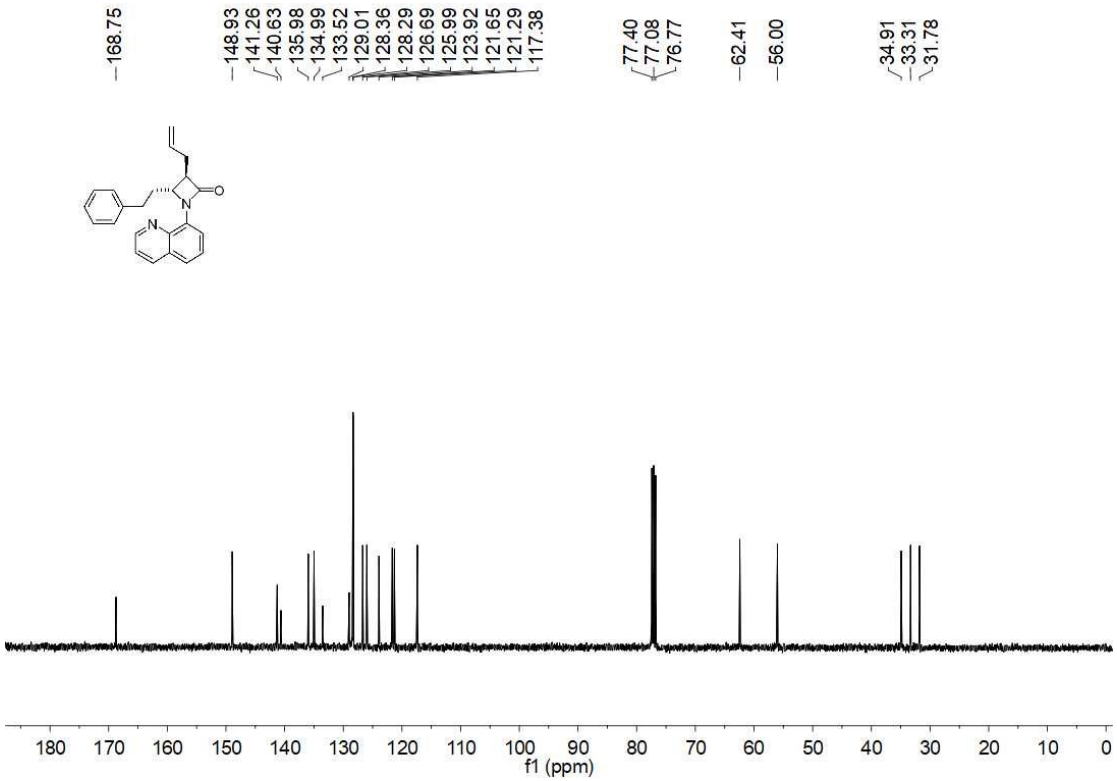
8.78
8.31
8.30
8.29
8.28
8.12
8.12
8.10
8.09
7.58
7.57
7.56
7.55
7.52
7.50
7.48
7.40
7.39
7.38
7.37
7.27
7.26
7.25
7.23
7.19
7.17
7.14
7.14
7.12
5.97
5.95
5.26
5.26
5.22
5.22
5.16
5.14
4.97
4.94
3.12
2.71
2.71
2.70
2.69
2.67
2.67
2.59
O
N
N
1.00
1.00
1.01
1.01
1.01
1.00
2.03
0.99
1.99
1.00
1.00
0.98
0.99
1.00
2.96
1.03
1.00
0.98
10.5
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
f1 (ppm)

^{13}C NMR of 3e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140.63
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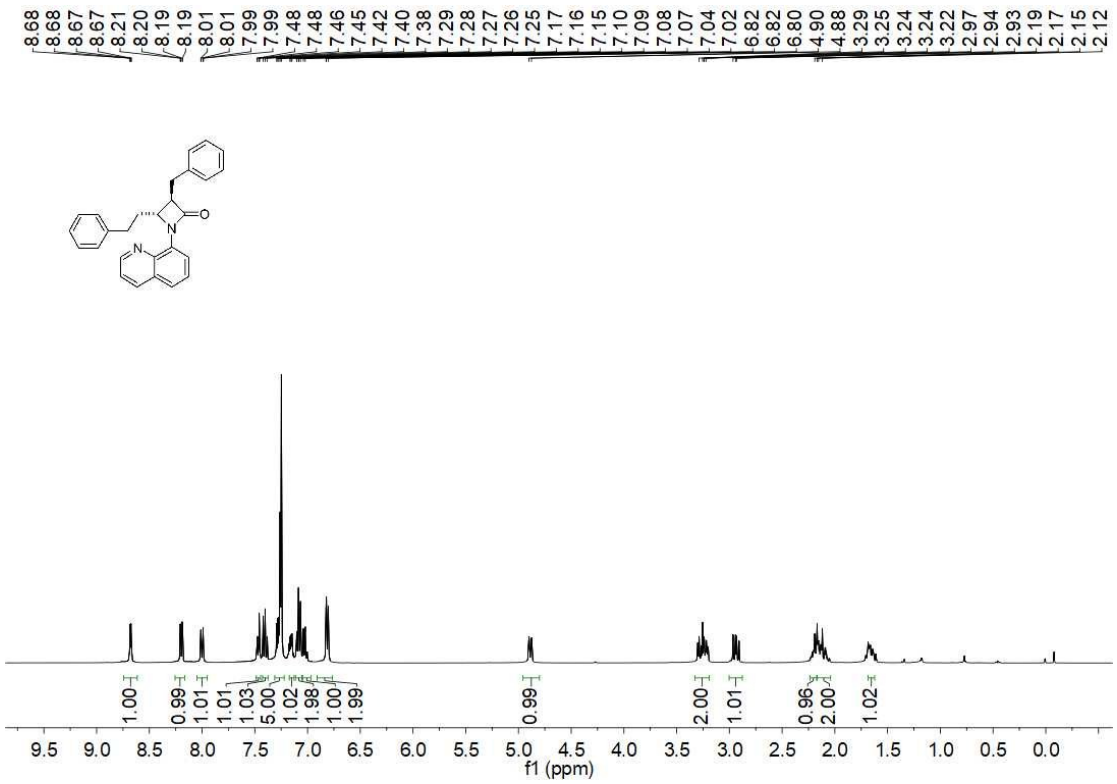
134.99
133.52
129.01
128.36
128.29
126.69
125.99
123.92
121.65
121.29
117.38
77.40
77.08
76.77
62.41
56.00
34.91
33.31
31.78
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 3f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8.67
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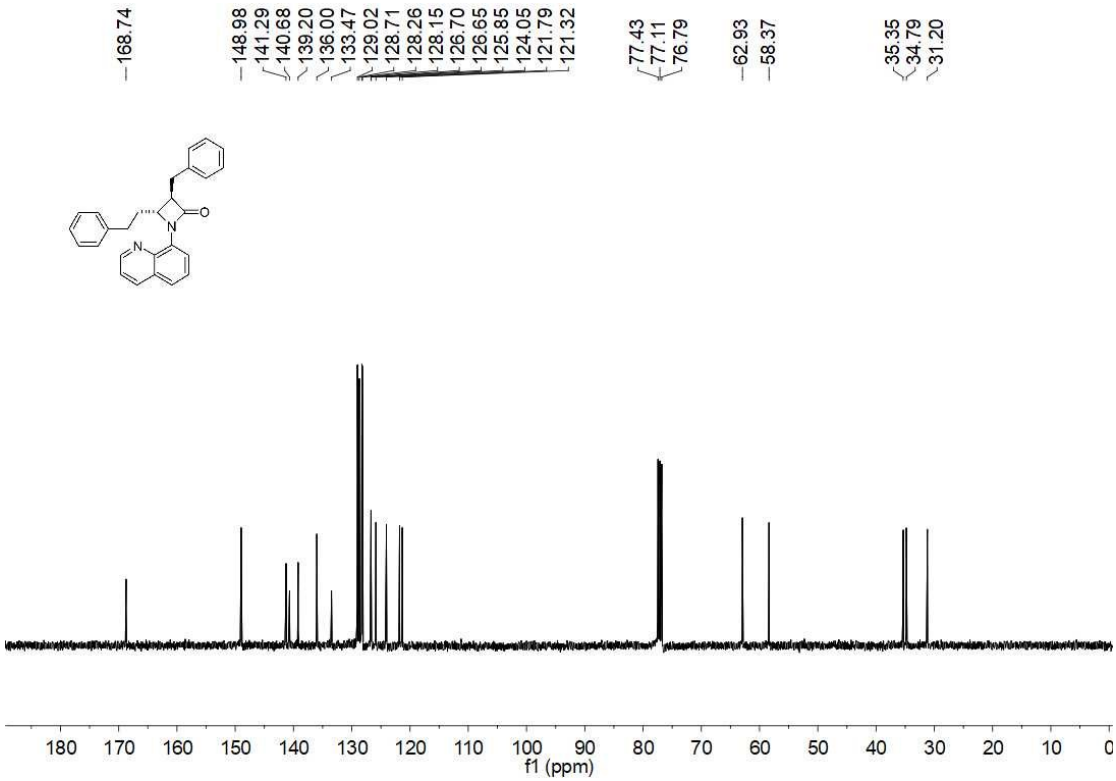
8.21
8.20
8.19
8.19
8.01
8.01
7.99
7.99
7.48
7.48
7.46
7.45
7.42
7.40
7.38
7.29
7.28
7.27
7.26
7.25
7.17
7.16
7.15
7.10
7.09
7.08
7.07
7.04
7.02
6.82
6.82
6.80
4.90
4.88
3.29
3.25
3.24
3.24
3.22
2.97
2.94
2.93
2.19
2.17
2.15
2.12
O
N
N
1.00
0.99
1.01
1.01
1.03
5.00
1.02
1.98
1.00
1.99
0.99
2.00
1.01
0.96
2.00
1.02
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
f1 (ppm)

^{13}C NMR of 3f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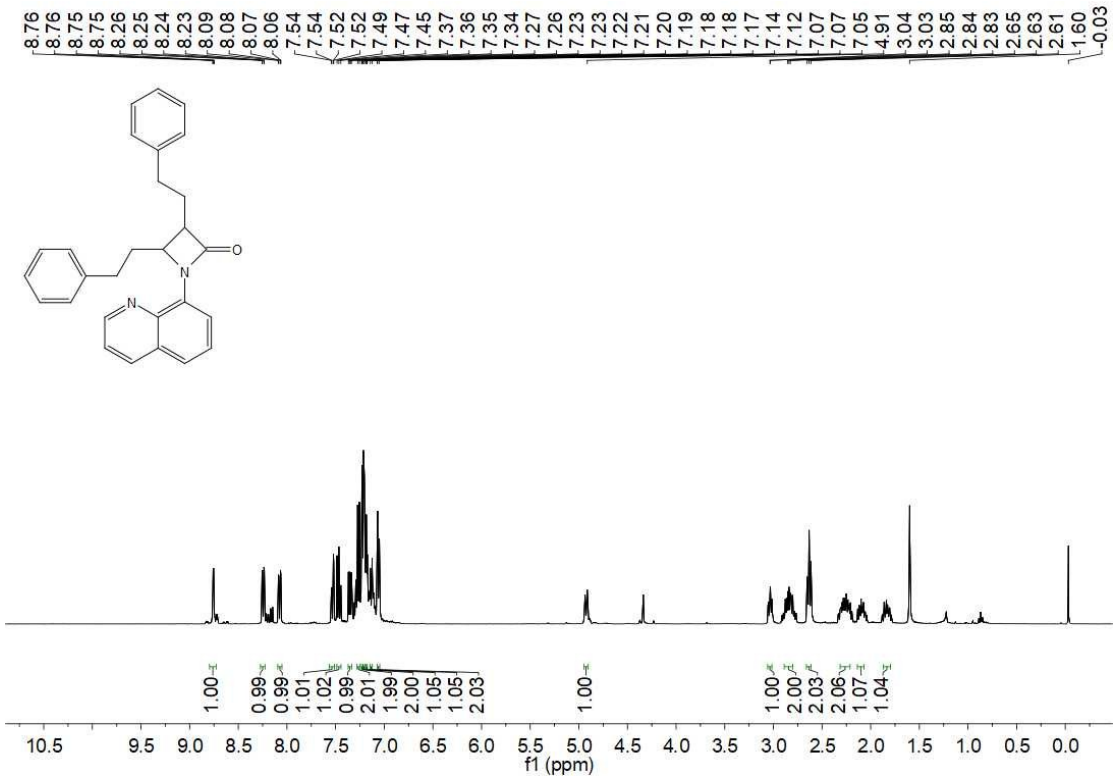
148.98
141.29
140.68
139.20
136.00
133.47
129.02
128.71
128.26
128.15
126.70
126.65
125.85
124.05
121.79
121.32
77.43
77.11
76.79
62.93
58.37
35.35
34.79
31.20
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 3g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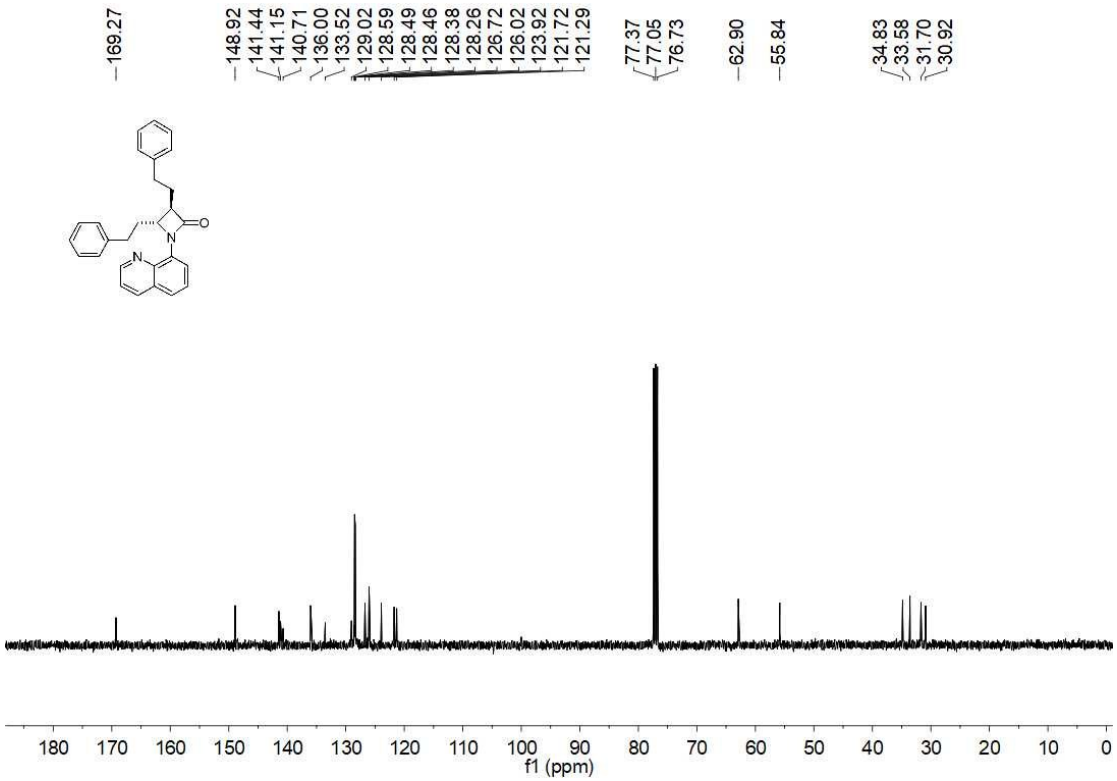
8.76
8.75
8.75
8.26
8.25
8.24
8.23
8.09
8.08
8.07
8.06
7.54
7.54
7.52
7.52
7.49
7.47
7.45
7.37
7.36
7.35
7.34
7.27
7.26
7.23
7.23
7.22
7.21
7.20
7.19
7.18
7.18
7.17
7.14
7.12
7.07
7.07
7.05
4.91
3.04
3.03
2.85
2.84
2.83
2.65
2.63
2.61
1.60
-0.03
O
N
N
1.00
0.99
0.99
1.01
1.02
0.99
2.01
1.99
2.00
1.05
1.05
2.03
1.00
1.00
2.00
2.03
2.06
1.07
1.04
10.5
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
f1 (ppm)

^{13}C NMR of 3g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148.92
141.44
141.15
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136.00
133.52
129.02
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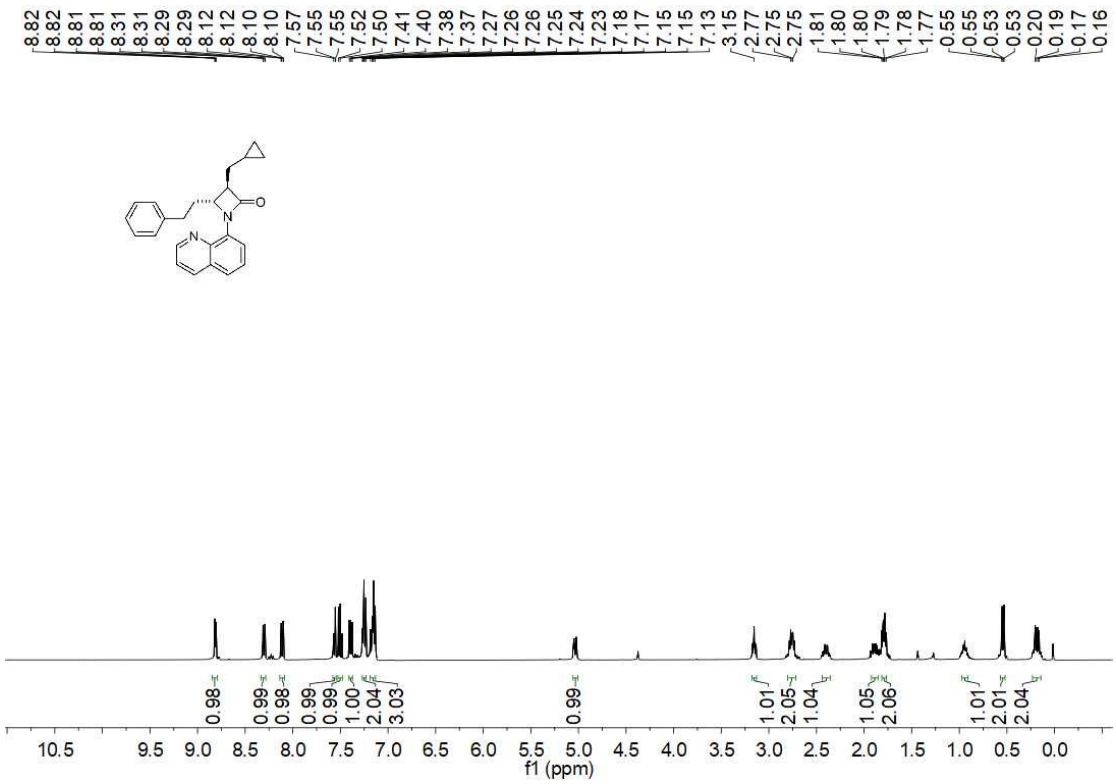
128.49
128.46
128.38
128.26
126.72
126.02
123.92
121.72
121.29
77.37
77.05
76.73
62.90
55.84
34.83
33.58
31.70
30.92
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3h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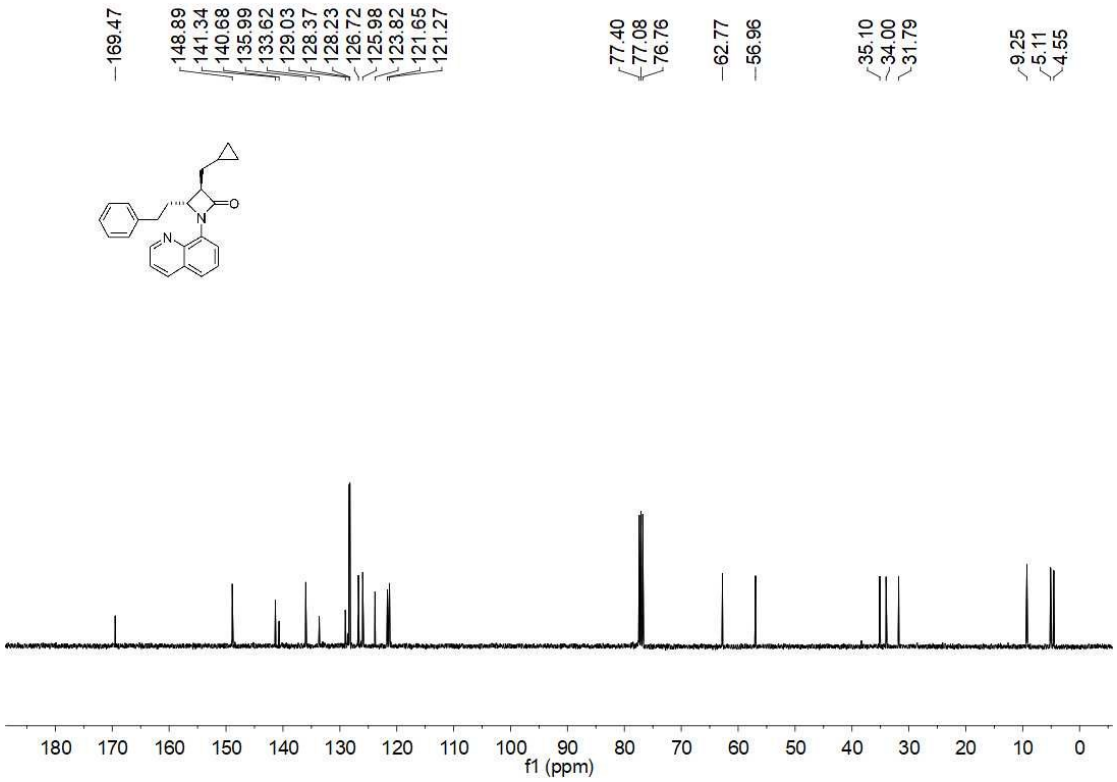
8.29
8.29
8.12
8.12
8.10
8.10
7.57
7.55
7.55
7.52
7.50
7.41
7.40
7.38
7.37
7.27
7.26
7.26
7.25
7.24
7.23
7.18
7.17
7.15
7.15
7.13
3.15
2.77
2.75
2.75
1.81
1.80
1.80
1.79
1.78
1.77
0.55
0.55
0.53
0.53
0.20
0.19
0.17
0.16
O
N
N
0.98
0.99
0.98
0.99
0.99
1.00
2.04
3.03
0.99
1.01
2.05
1.04
1.05
2.06
1.01
2.01
2.04
10.5
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
f1 (ppm)

^{13}C NMR of 3h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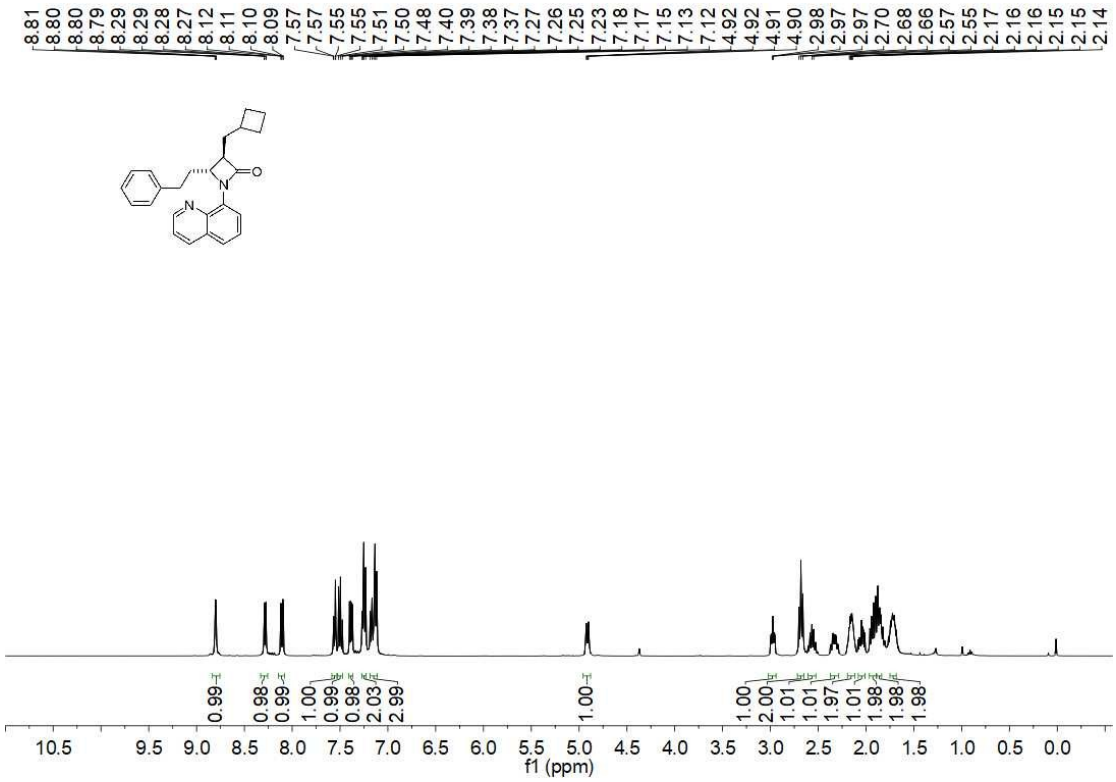
125.98
123.82
121.65
121.27
77.40
77.08
76.76
62.77
56.96
35.10
34.00
31.79
9.25
5.11
4.55
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3i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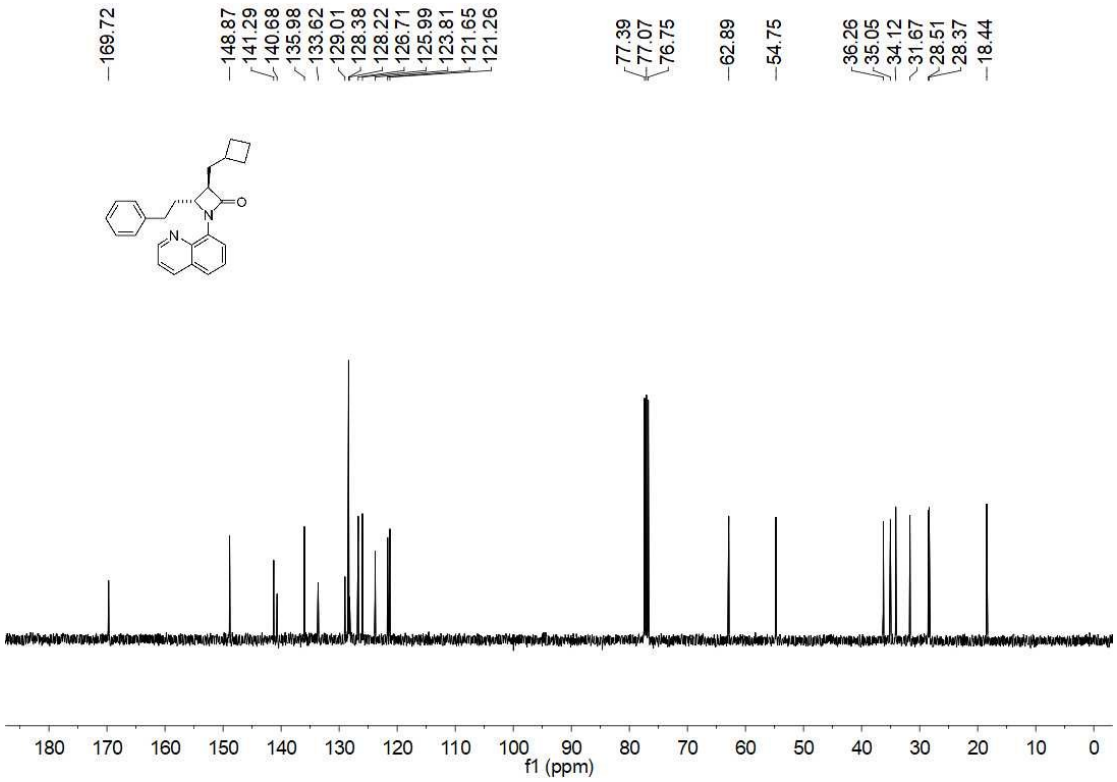
8.80
8.80
8.79
8.29
8.29
8.28
8.27
8.12
8.11
8.10
8.09
7.57
7.57
7.55
7.55
7.51
7.50
7.48
7.40
7.39
7.38
7.37
7.27
7.26
7.25
7.23
7.18
7.17
7.15
7.13
7.12
4.92
4.91
4.90
2.98
2.97
2.97
2.70
2.68
2.66
2.57
2.55
2.17
2.16
2.16
2.15
2.15
2.14
O
N
N
0.99
0.98
0.99
1.00
0.99
0.98
2.03
2.99
1.00
1.00
2.00
1.01
1.01
1.97
1.01
1.98
1.98
1.98
10.5
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
f1 (ppm)

^{13}C NMR of 3i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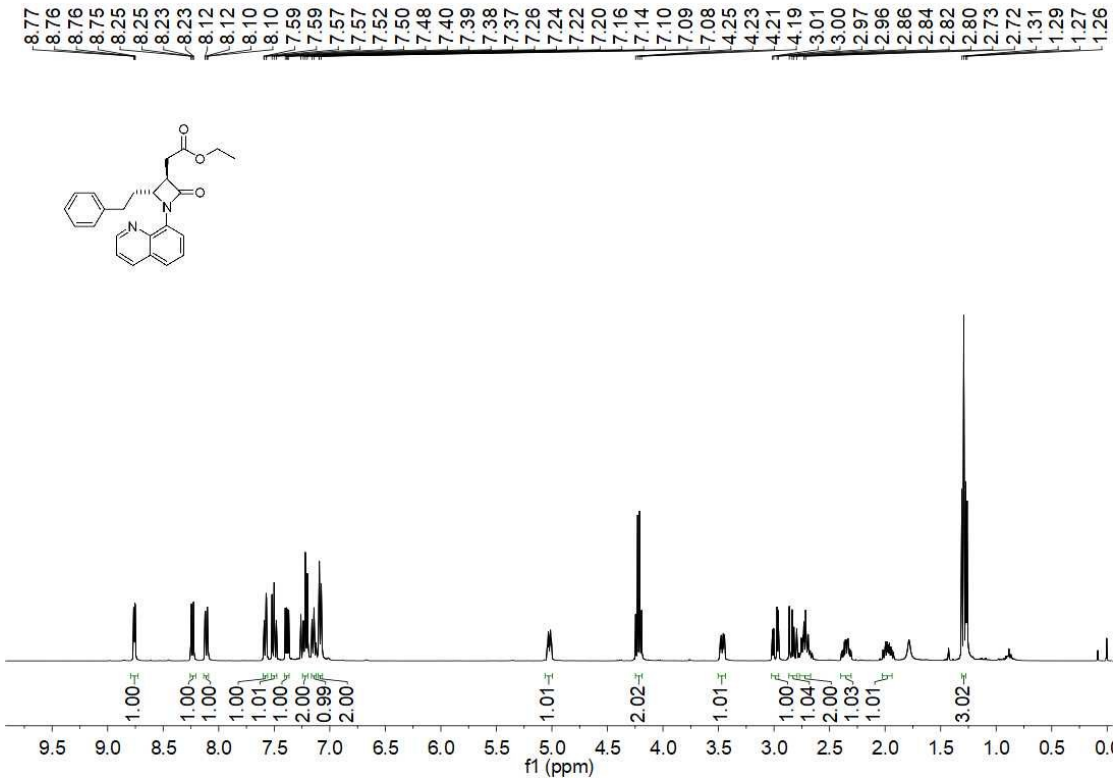
125.99
123.81
121.65
121.26
77.39
77.07
76.75
62.89
54.75
36.26
35.05
34.12
31.67
28.51
28.37
18.44
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3j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8.23
8.12
8.12
8.10
8.10
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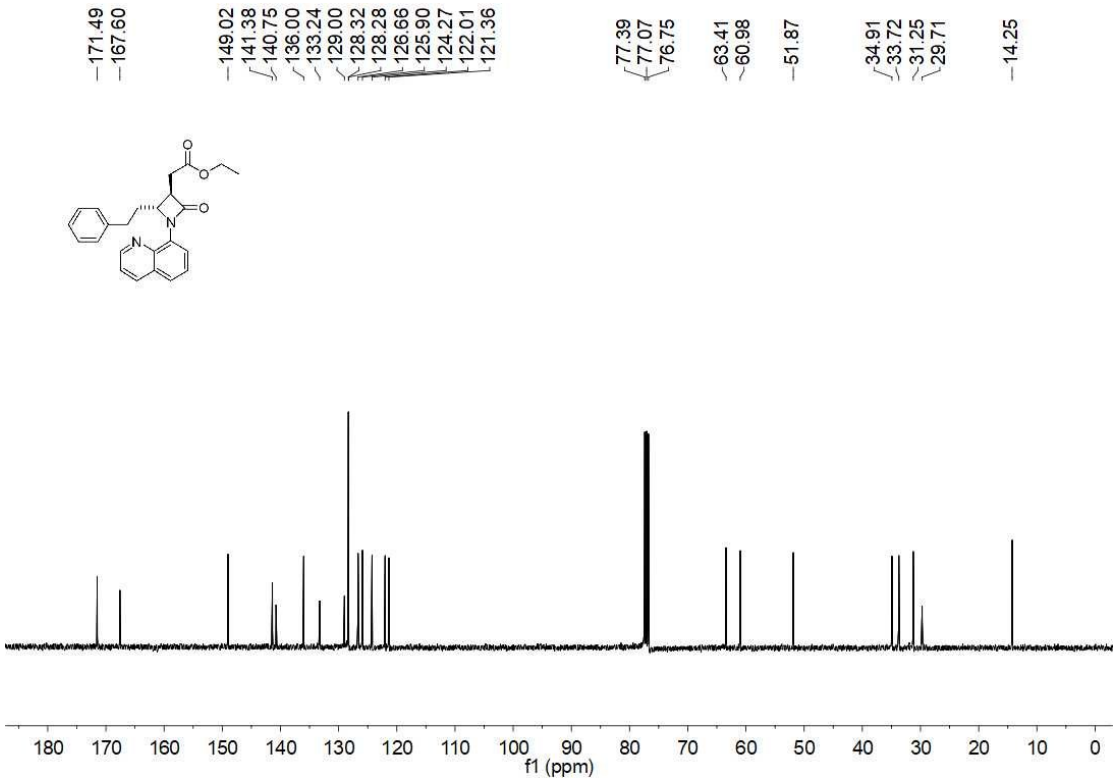
7.59
7.57
7.57
7.52
7.50
7.48
7.40
7.39
7.38
7.37
7.26
7.24
7.22
7.20
7.16
7.14
7.10
7.09
7.08
4.25
4.23
4.21
4.19
3.01
3.00
2.97
2.96
2.86
2.84
2.82
2.80
2.73
2.72
1.31
1.29
1.27
1.26
1.00
1.00
1.00
1.01
1.00
2.00
0.99
2.00
1.01
2.02
1.01
1.00
1.04
2.00
1.03
1.01
3.02
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
f1 (ppm)

^{13}C NMR of 3j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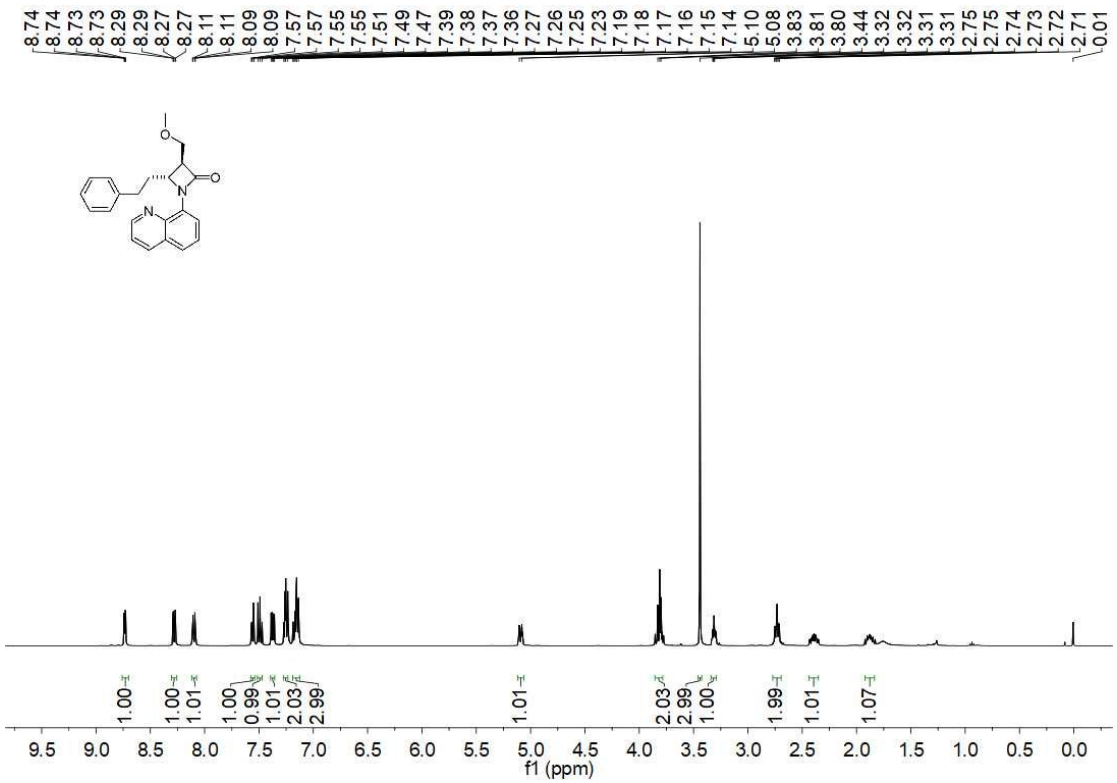
126.66
125.90
124.27
122.01
121.36
77.39
77.07
76.75
63.41
60.98
51.87
34.91
33.72
31.25
29.71
14.25
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3k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8.73
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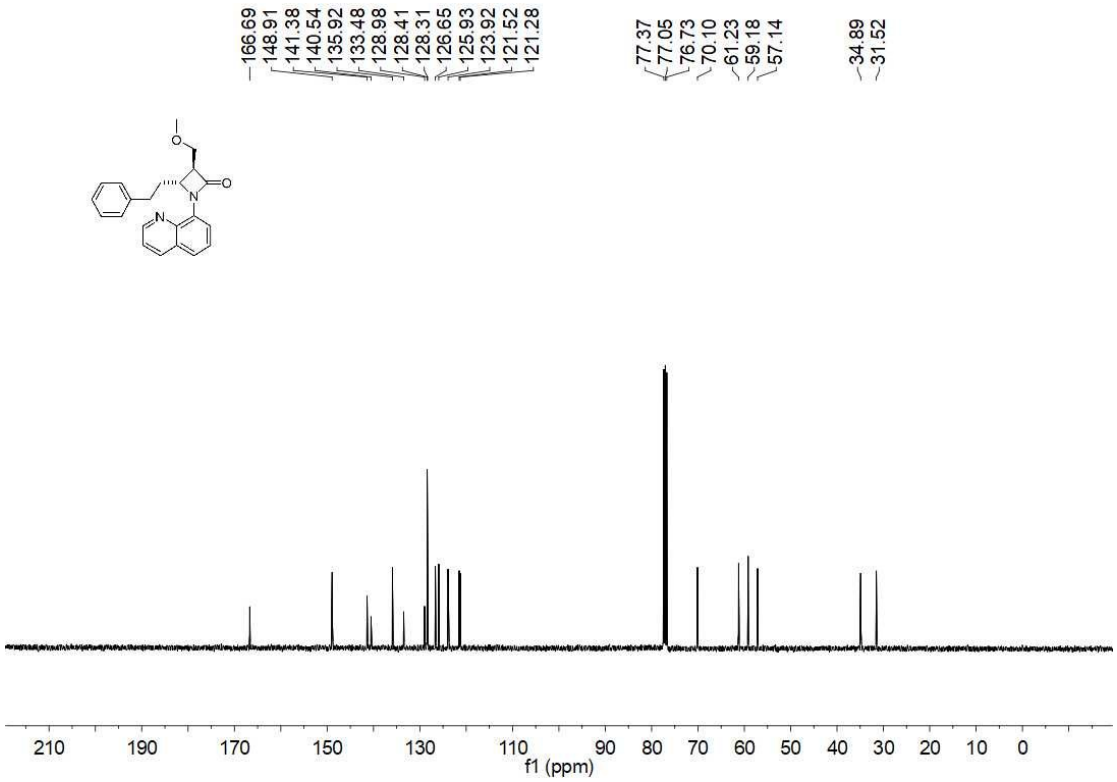
8.29
8.27
8.27
8.11
8.11
8.09
8.09
7.57
7.57
7.55
7.55
7.51
7.49
7.47
7.39
7.38
7.37
7.36
7.27
7.26
7.25
7.23
7.19
7.18
7.17
7.16
7.15
7.14
5.10
5.08
3.83
3.81
3.80
3.44
3.32
3.32
3.31
3.31
2.75
2.75
2.74
2.73
2.72
2.71
0.01
O
N
O
N
1.00
1.00
1.01
1.00
0.99
1.01
2.03
2.99
1.01
2.03
2.99
1.00
1.99
1.01
1.07
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
f1 (ppm)

^{13}C NMR of 3k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135.92
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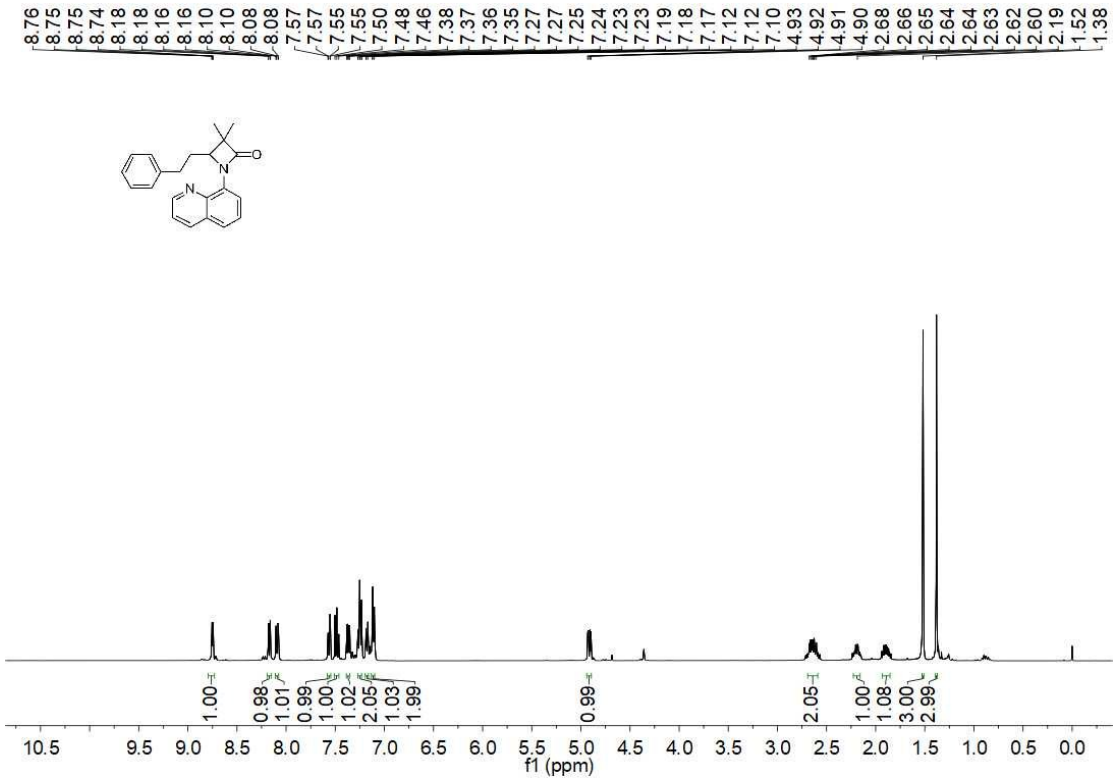
128.98
128.41
128.31
126.65
125.93
123.92
121.52
121.28
77.37
77.05
76.73
70.10
61.23
59.18
57.14
34.89
31.52
O
O
N
N
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 3l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8.75
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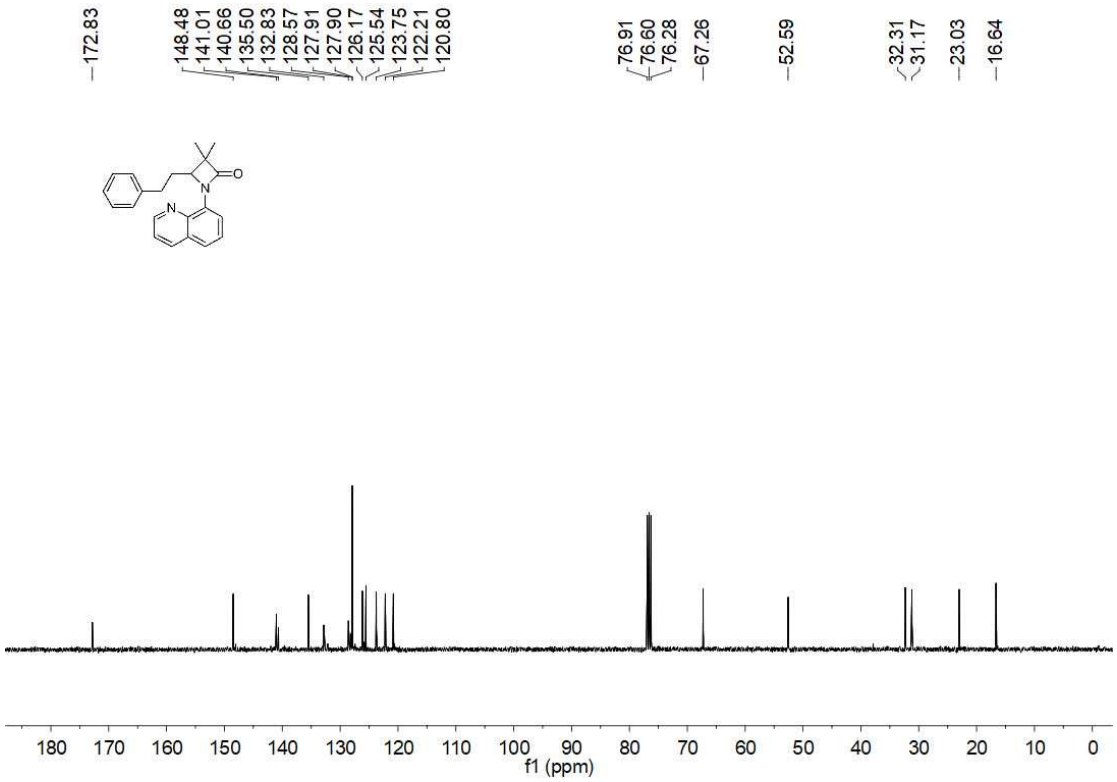
8.16
8.16
8.10
8.10
8.08
8.08
7.57
7.57
7.55
7.55
7.50
7.48
7.46
7.38
7.37
7.36
7.35
7.27
7.27
7.25
7.24
7.23
7.23
7.19
7.18
7.17
7.12
7.12
7.10
4.93
4.92
4.91
4.90
2.68
2.66
2.65
2.64
2.64
2.63
2.62
2.60
2.19
1.52
1.38
O
N
N
1.00
0.98
1.01
0.99
1.00
1.02
2.05
1.03
1.99
0.99
2.05
1.00
1.08
3.00
2.99
10.5
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
f1 (ppm)

^{13}C NMR of 3l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135.50
132.83
128.57
127.91
127.90
126.17
125.54
123.75
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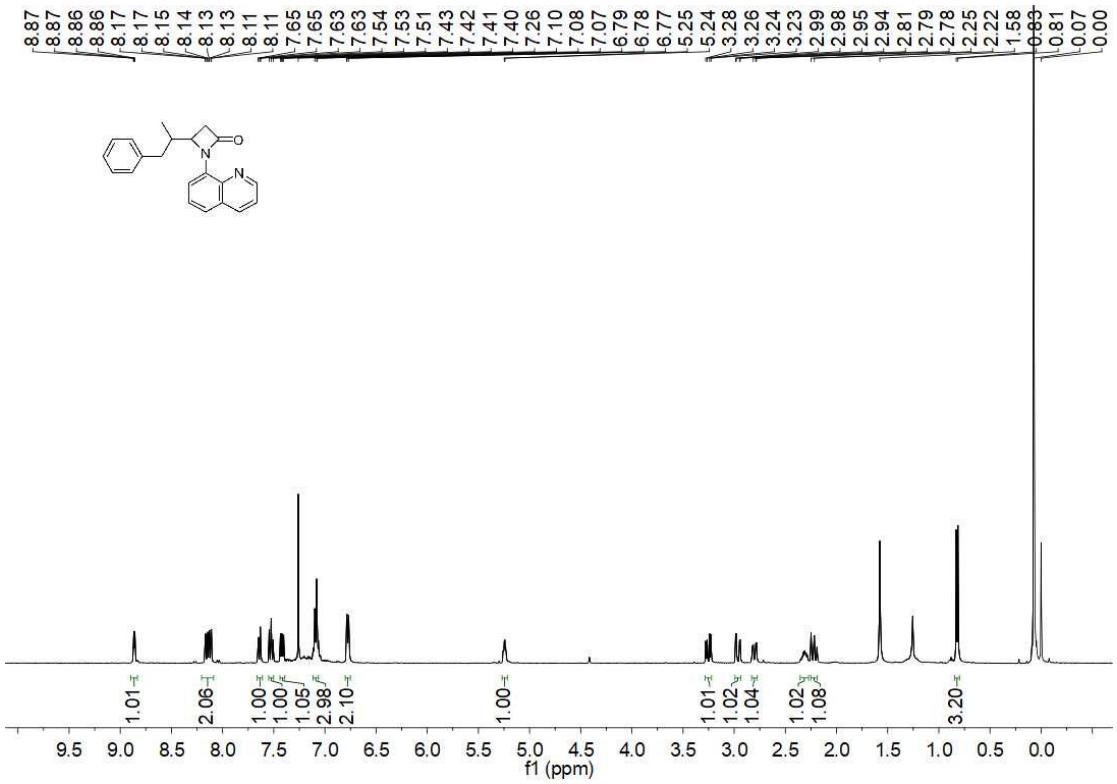
120.80
76.91
76.60
76.28
67.26
52.59
32.31
31.17
23.03
16.64
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3m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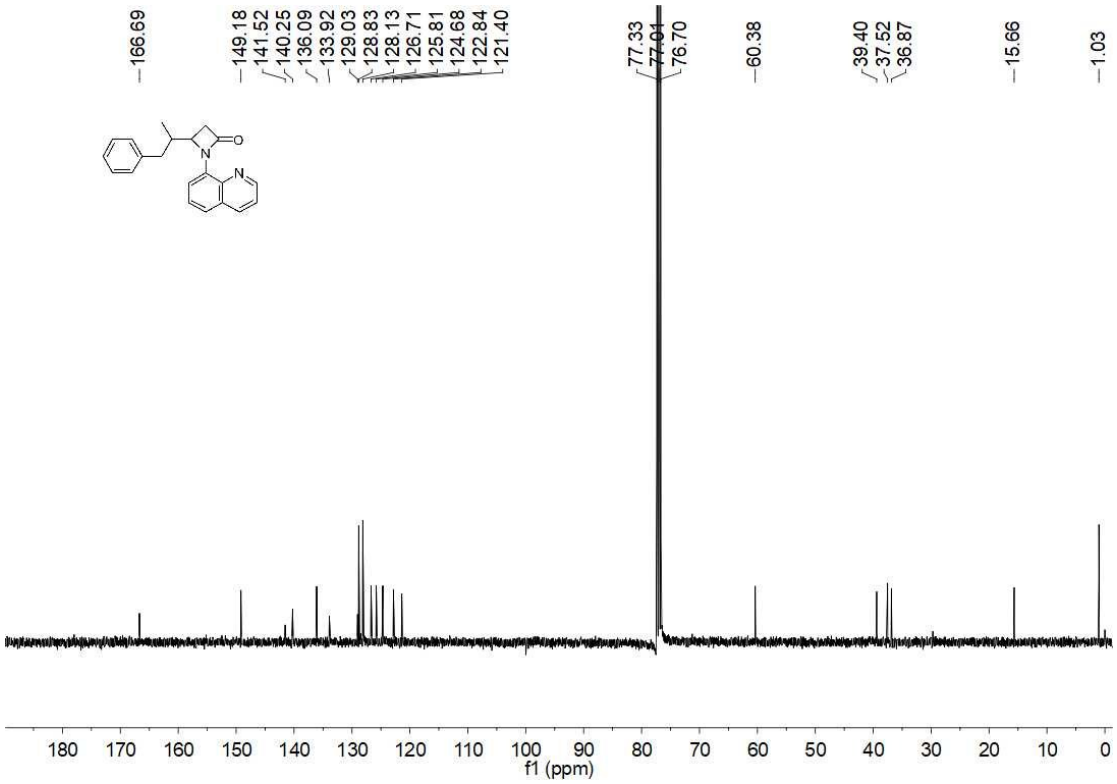
8.86
8.17
8.17
8.15
8.14
8.13
8.13
8.11
8.11
7.65
7.65
7.63
7.63
7.54
7.53
7.51
7.43
7.42
7.41
7.40
7.26
7.10
7.08
7.07
6.79
6.78
6.77
5.25
5.24
3.28
3.26
3.24
3.23
2.99
2.98
2.95
2.94
2.81
2.79
2.78
2.25
2.22
1.58
0.83
0.81
0.07
0.00
O
N
N
1.01
2.06
1.00
1.00
1.05
2.98
2.10
1.00
1.01
1.02
1.04
1.02
1.08
3.20
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
f1 (ppm)

^{13}C NMR of 3m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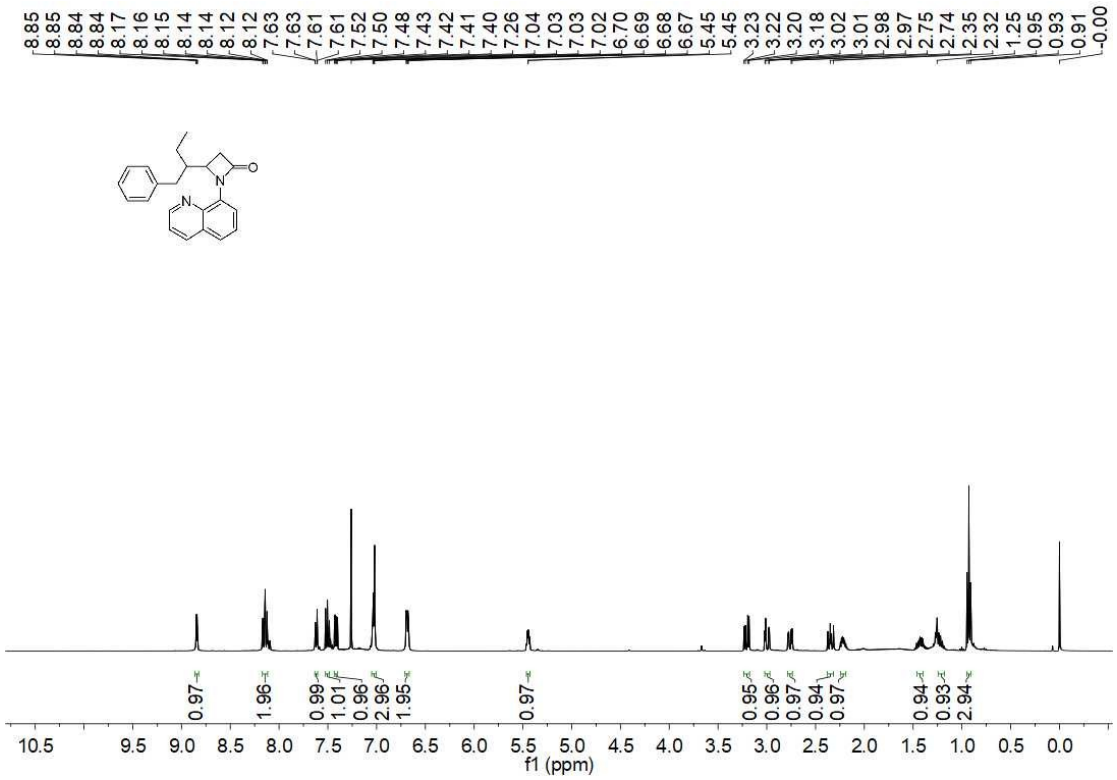
141.52
140.25
136.09
133.92
129.03
128.83
128.13
126.71
125.81
124.68
122.84
121.40
77.33
77.01
76.70
60.38
39.40
37.52
36.87
15.66
1.03
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3n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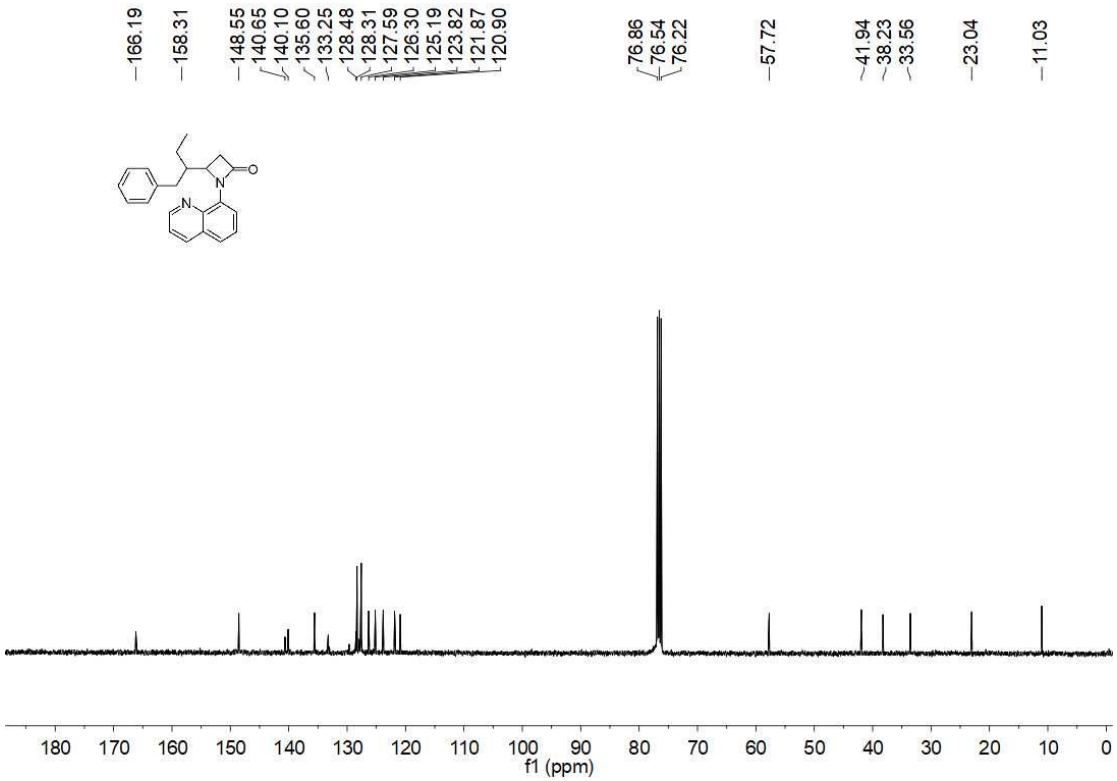
8.84
8.84
8.17
8.16
8.15
8.14
8.14
8.12
8.12
7.63
7.63
7.61
7.61
7.52
7.50
7.48
7.43
7.42
7.41
7.40
7.26
7.04
7.03
7.02
6.70
6.69
6.68
6.67
5.45
5.45
3.23
3.22
3.20
3.18
3.02
3.01
2.98
2.97
2.75
2.74
2.35
2.32
1.25
0.95
0.93
0.91
-0.00
O
N
N
0.97
1.96
0.99
1.01
0.96
2.96
1.95
0.97
0.95
0.96
0.97
0.94
0.97
0.94
0.93
2.94
10.5
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
f1 (ppm)

^{13}C NMR of 3n


166.19
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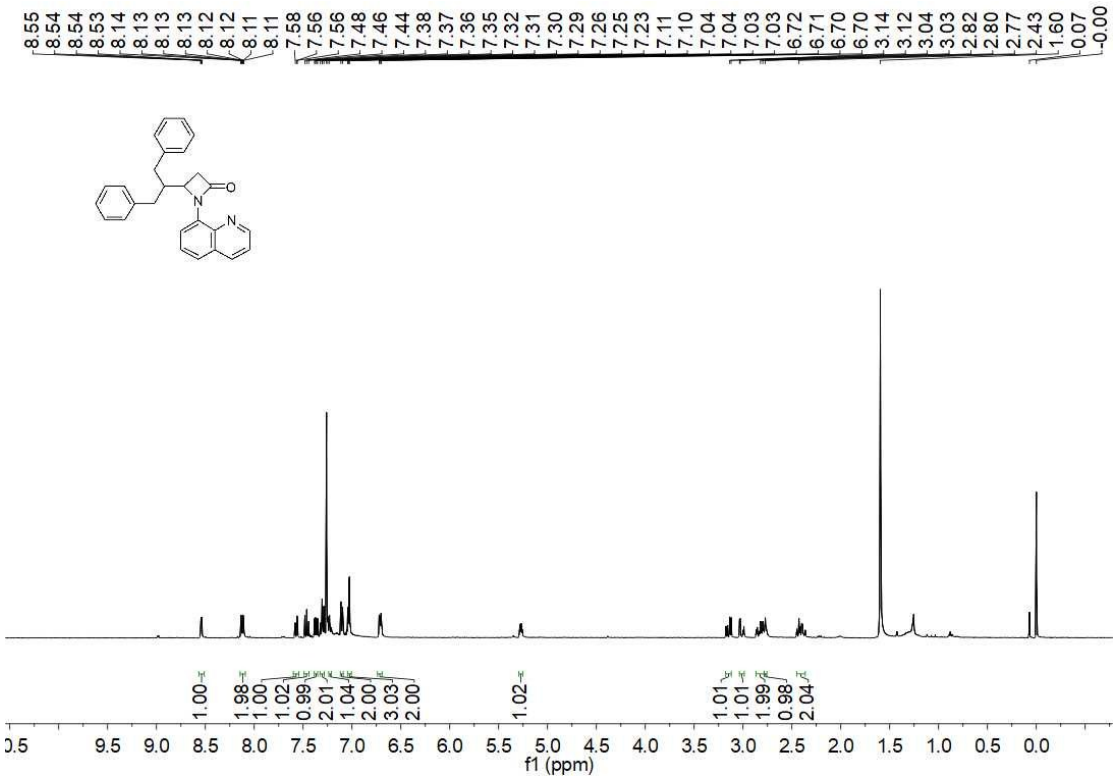
127.59
126.30
125.19
123.82
121.87
120.90
76.86
76.54
76.22
57.72
41.94
38.23
33.56
23.04
11.03
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 3o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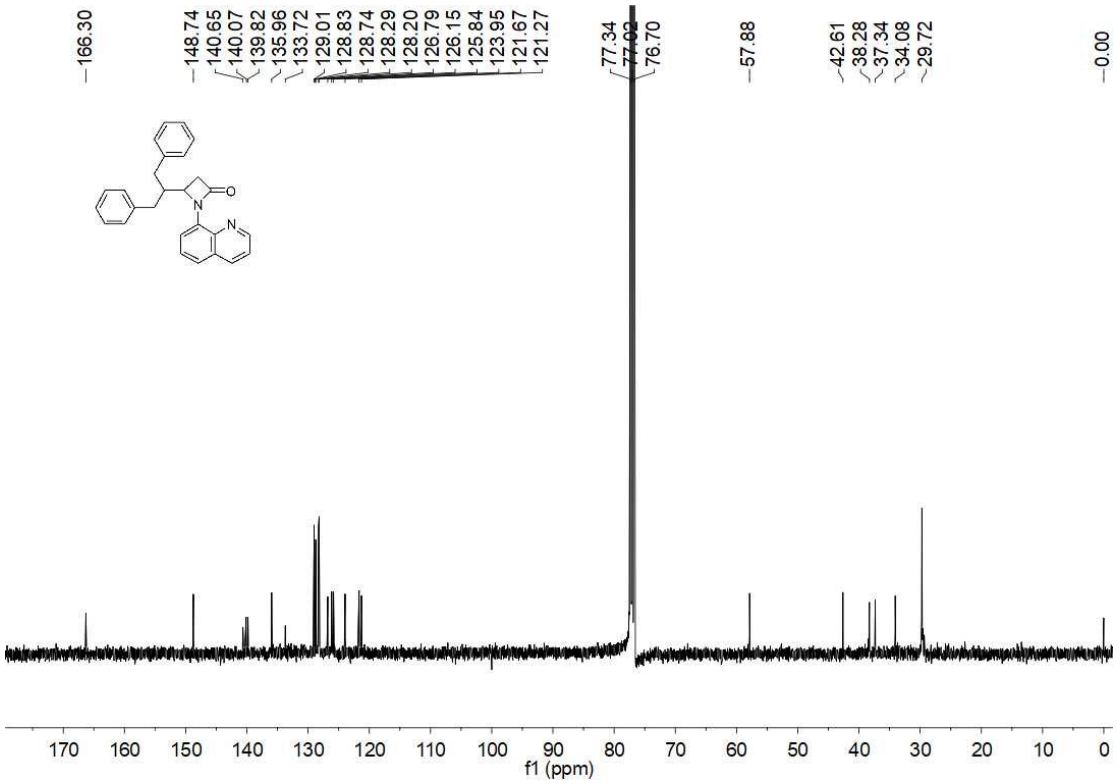
8.54
8.54
8.53
8.14
8.13
8.13
8.12
8.12
8.11
8.11
7.58
7.56
7.56
7.48
7.46
7.44
7.38
7.37
7.36
7.35
7.32
7.31
7.30
7.29
7.26
7.25
7.23
7.11
7.10
7.04
7.04
7.03
7.03
6.72
6.71
6.70
6.70
3.14
3.12
3.04
3.03
2.82
2.80
2.77
2.43
1.60
0.07
-0.00
O
N
N
1.00
1.98
1.00
1.02
0.99
2.01
1.04
2.00
3.03
2.00
1.02
1.01
1.01
1.99
0.98
2.04
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
f1 (ppm)

^{13}C NMR of 3o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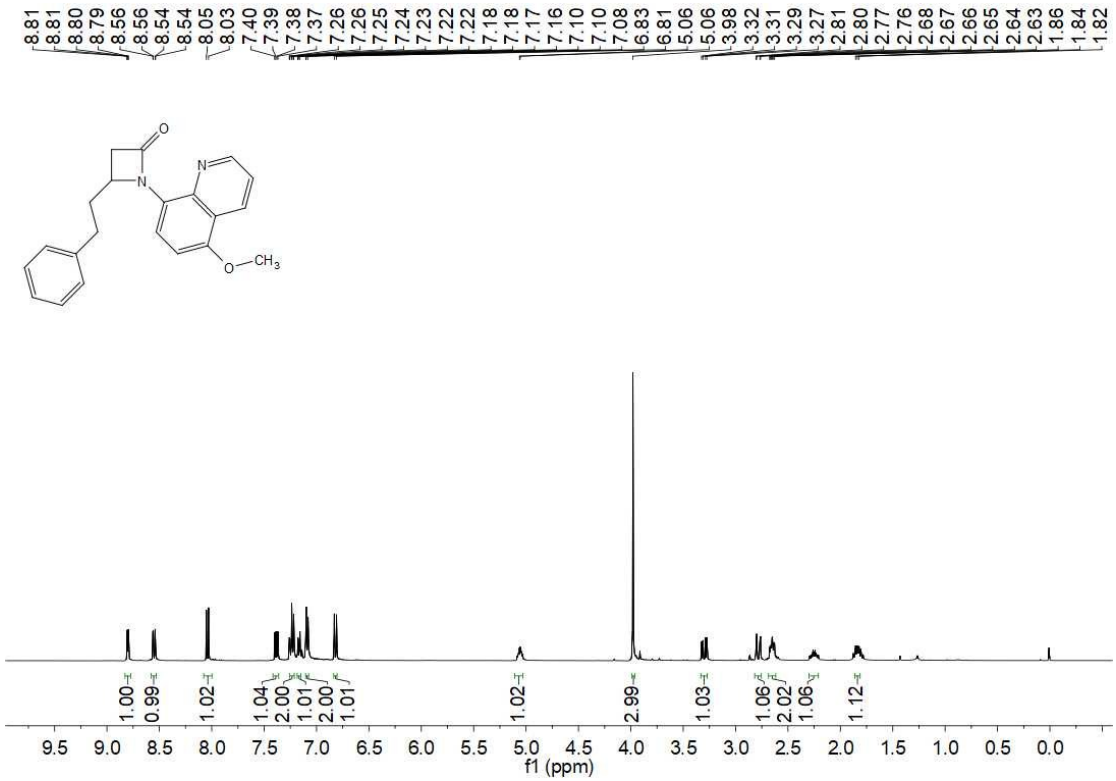
148.74
140.65
140.07
139.82
135.96
133.72
129.01
128.83
128.74
128.29
128.20
126.79
126.15
125.84
123.95
121.67
121.27
77.34
77.02
76.70
57.88
42.61
38.28
37.34
34.08
29.72
0.00
O
N
N
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 4a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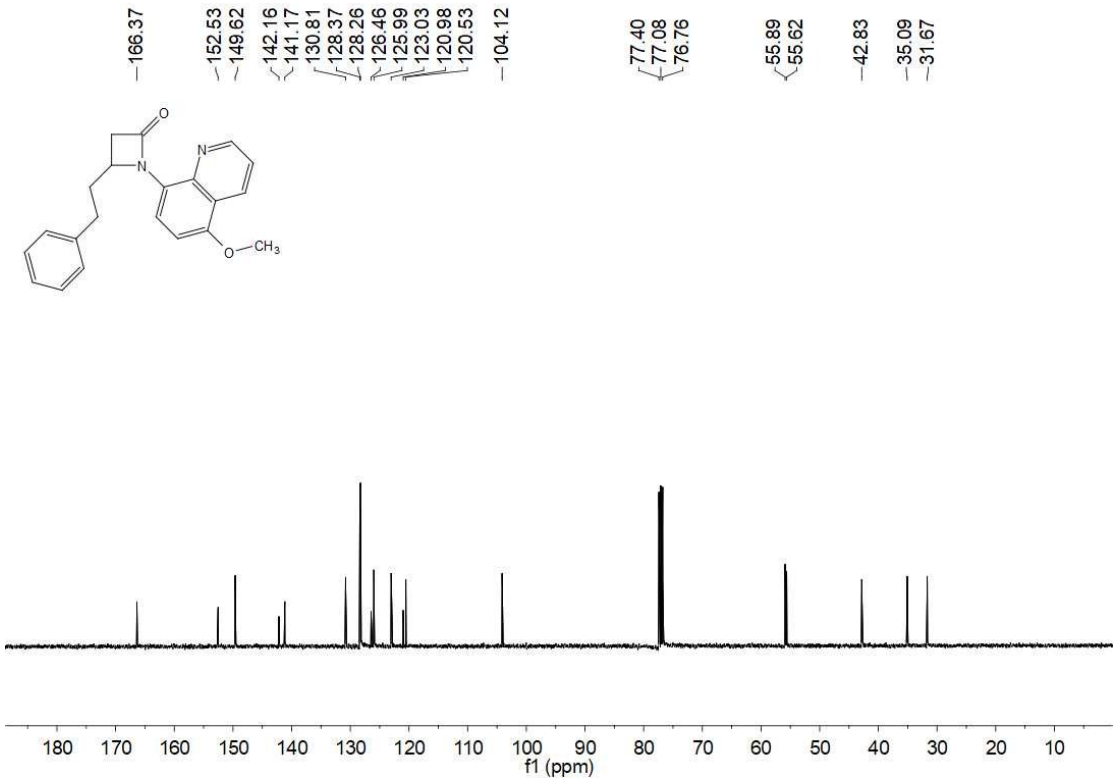
8.81
8.80
8.79
8.56
8.56
8.54
8.54
8.05
8.03
7.40
7.39
7.38
7.37
7.26
7.26
7.25
7.24
7.23
7.22
7.22
7.18
7.18
7.17
7.16
7.10
7.10
7.08
6.83
6.81
5.06
5.06
3.98
3.32
3.31
3.29
3.27
2.81
2.80
2.77
2.76
2.68
2.67
2.66
2.65
2.64
2.63
1.86
1.84
1.82
O
N
N
O
CH3
1.00
0.99
1.02
1.04
2.00
1.01
2.00
1.01
1.02
2.99
1.03
1.06
2.02
1.06
1.12
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
f1 (ppm)

^{13}C NMR of 4a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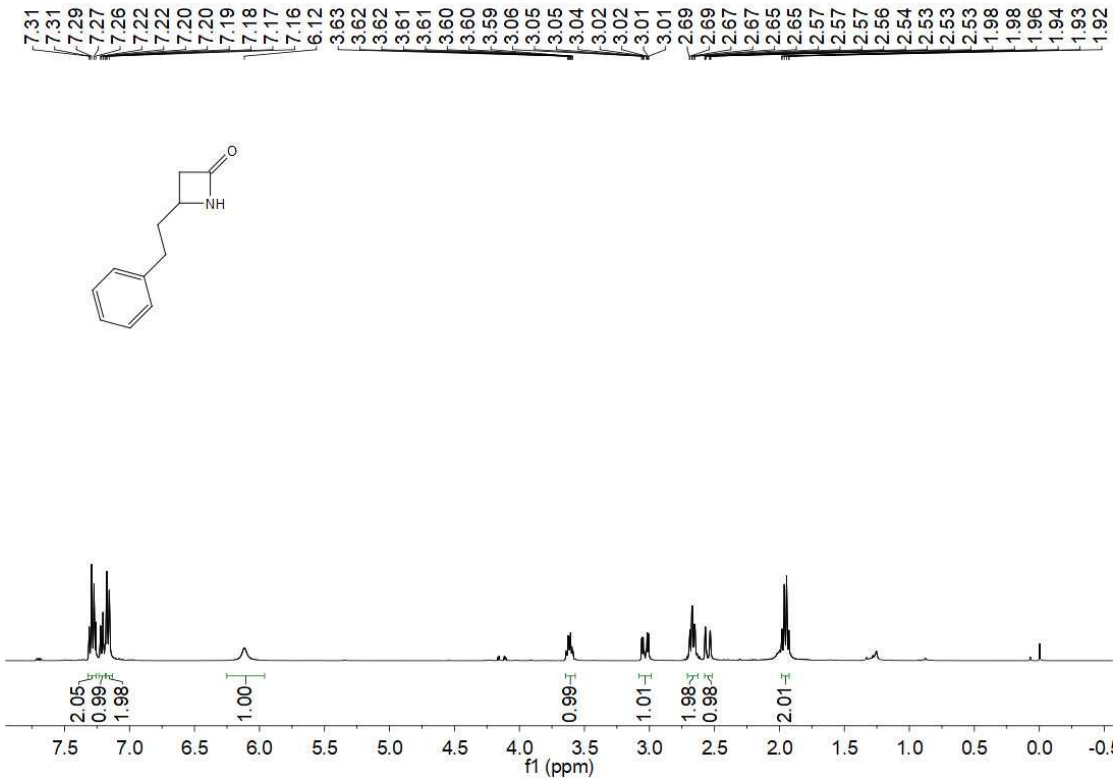
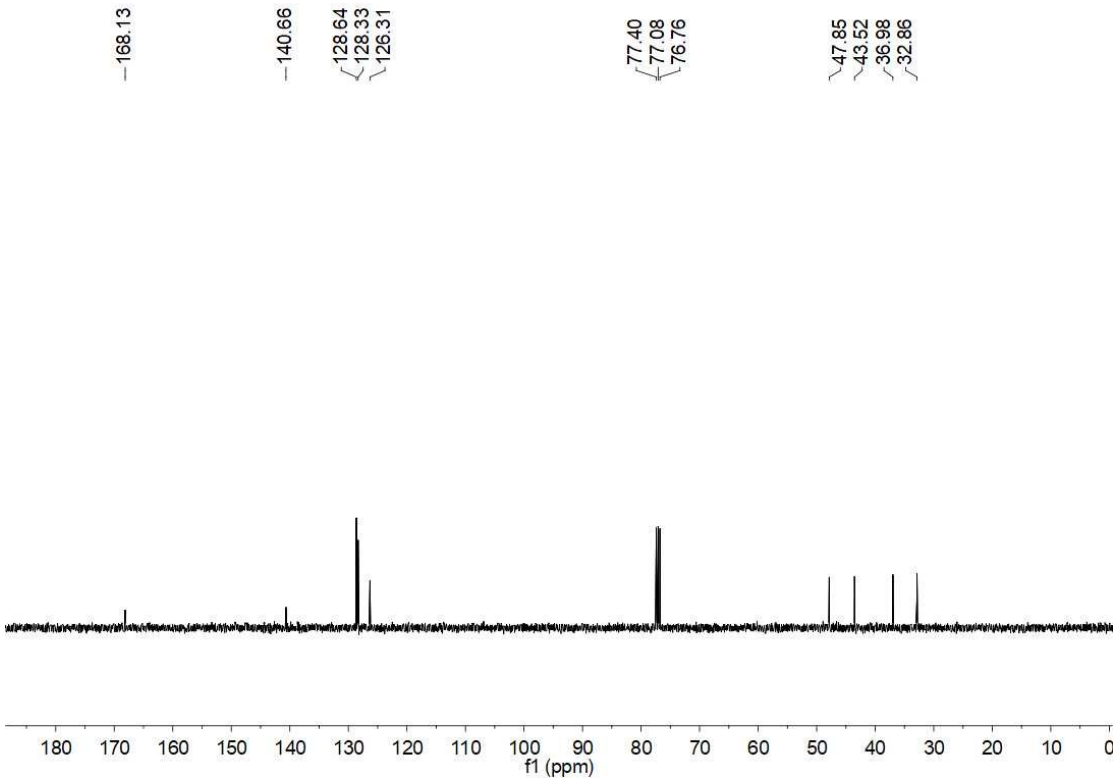
152.53
149.62
142.16
141.17
130.81
128.37
128.26
126.46
125.99
123.03
120.98
120.53
104.12
77.40
77.08
76.76
55.89
55.62
42.83
35.09
31.67
O
N
N
O
CH3
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

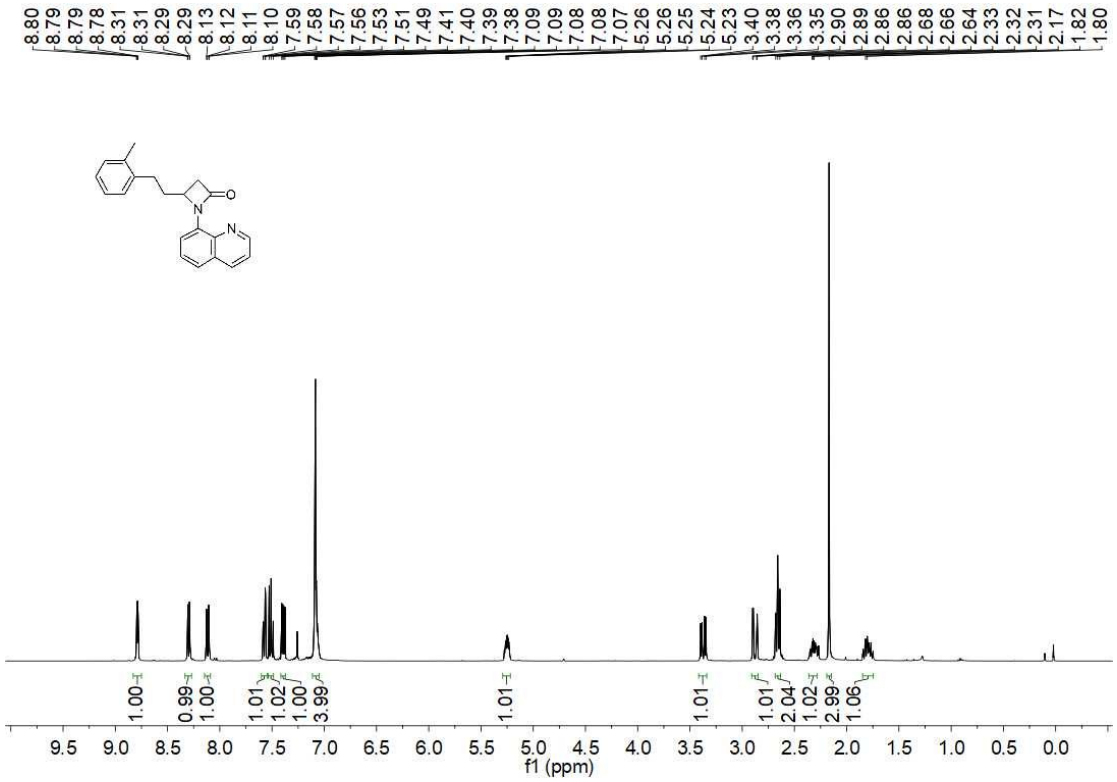
^{1}H NMR of 4b


7.31
7.31
7.29
7.27
7.26
7.22
7.22
7.20
7.20
7.19
7.18
7.17
7.16
6.12
3.63
3.62
3.62
3.61
3.61
3.60
3.60
3.59
3.06
3.05
3.05
3.04
3.02
3.02
3.01
3.01
2.69
2.69
2.67
2.67
2.65
2.65
2.57
2.57
2.57
2.56
2.54
2.53
2.53
2.53
1.98
1.98
1.96
1.94
1.93
1.92
O
NH
2.05
0.99
1.98
1.00
0.99
1.01
1.98
0.98
2.01
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
f1 (ppm)

^{13}C NMR of 4b


168.13
140.66
128.64
128.33
126.31
77.40
77.08
76.76
47.85
43.52
36.98
32.86
f1 (ppm)

^{1}H NMR of 5a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8.79
8.79
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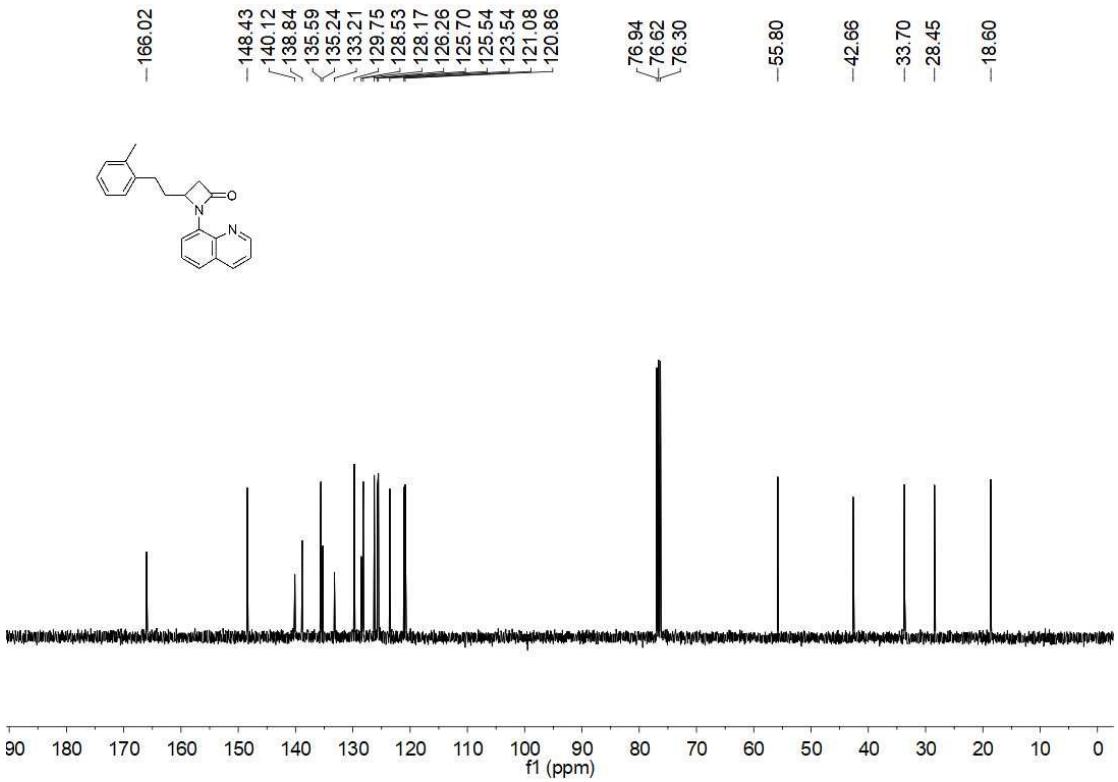
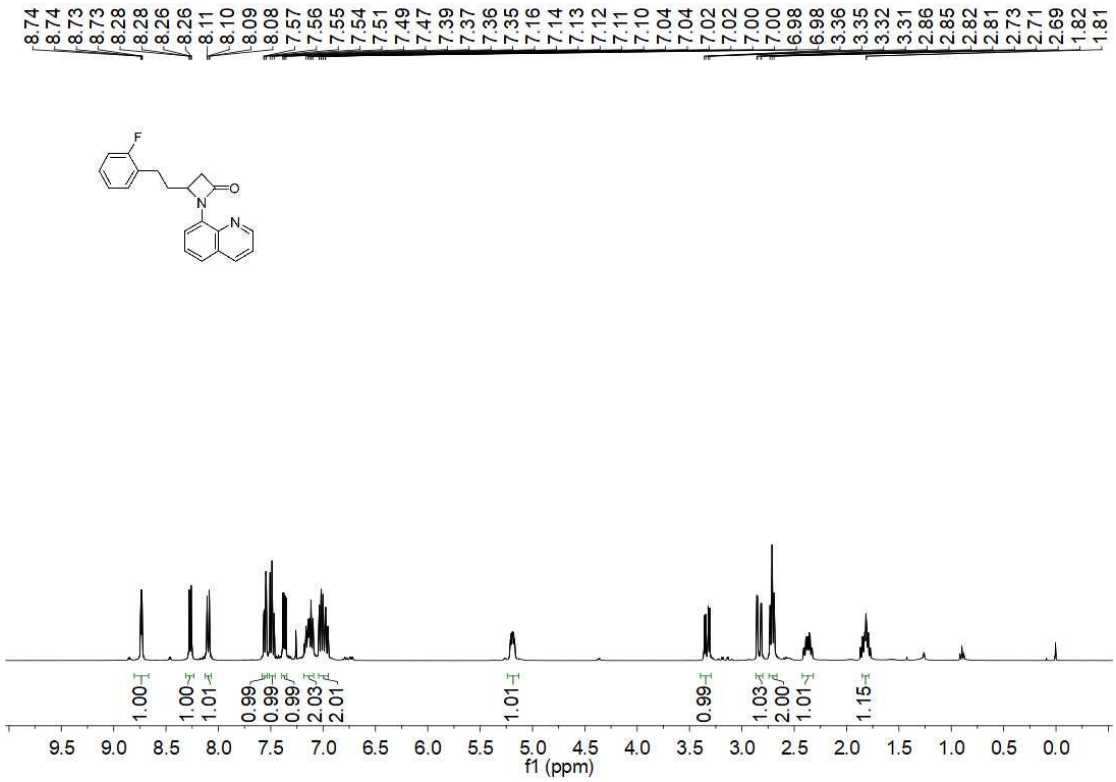
8.31
8.31
8.29
8.29
8.13
8.12
8.11
8.10
7.59
7.58
7.57
7.56
7.53
7.51
7.49
7.41
7.40
7.39
7.38
7.09
7.09
7.08
7.08
7.07
5.26
5.26
5.25
5.24
5.23
3.40
3.38
3.36
3.35
2.90
2.89
2.86
2.86
2.68
2.66
2.64
2.33
2.32
2.31
2.17
1.82
1.80
O
N
N
1.00
0.99
1.00
1.01
1.02
1.00
3.99
1.01
1.01
1.01
2.04
1.02
2.99
1.06
f1 (ppm)

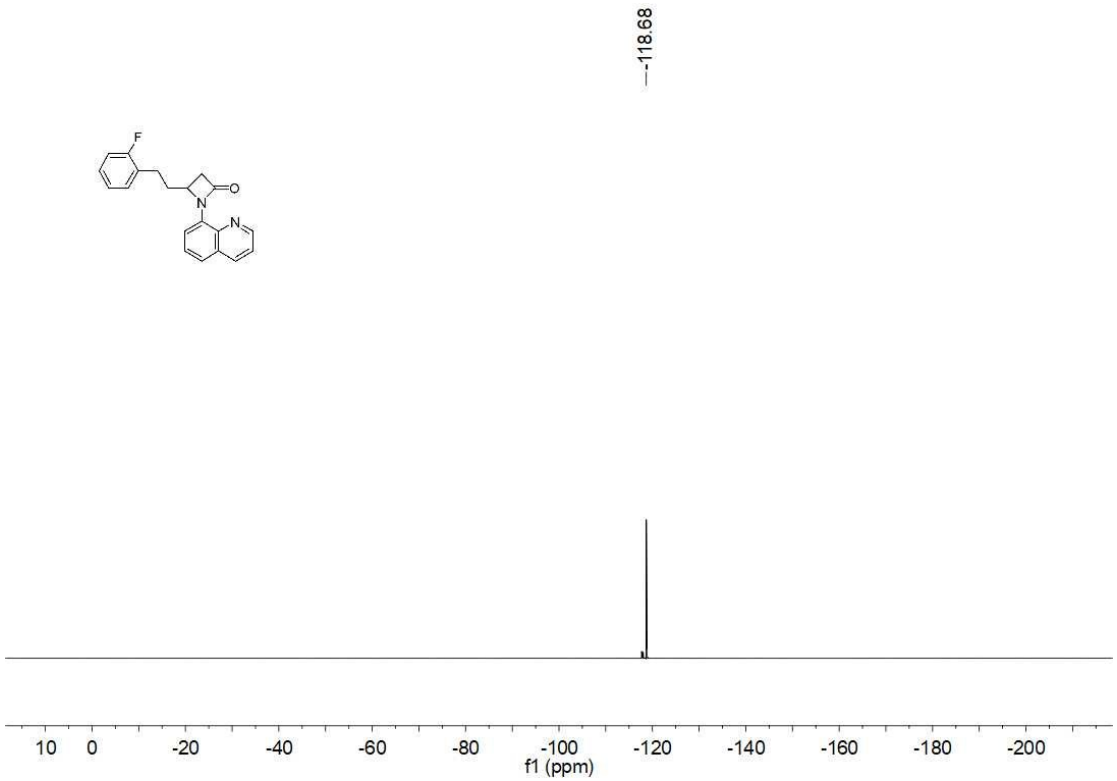
^{13}C NMR of 5a



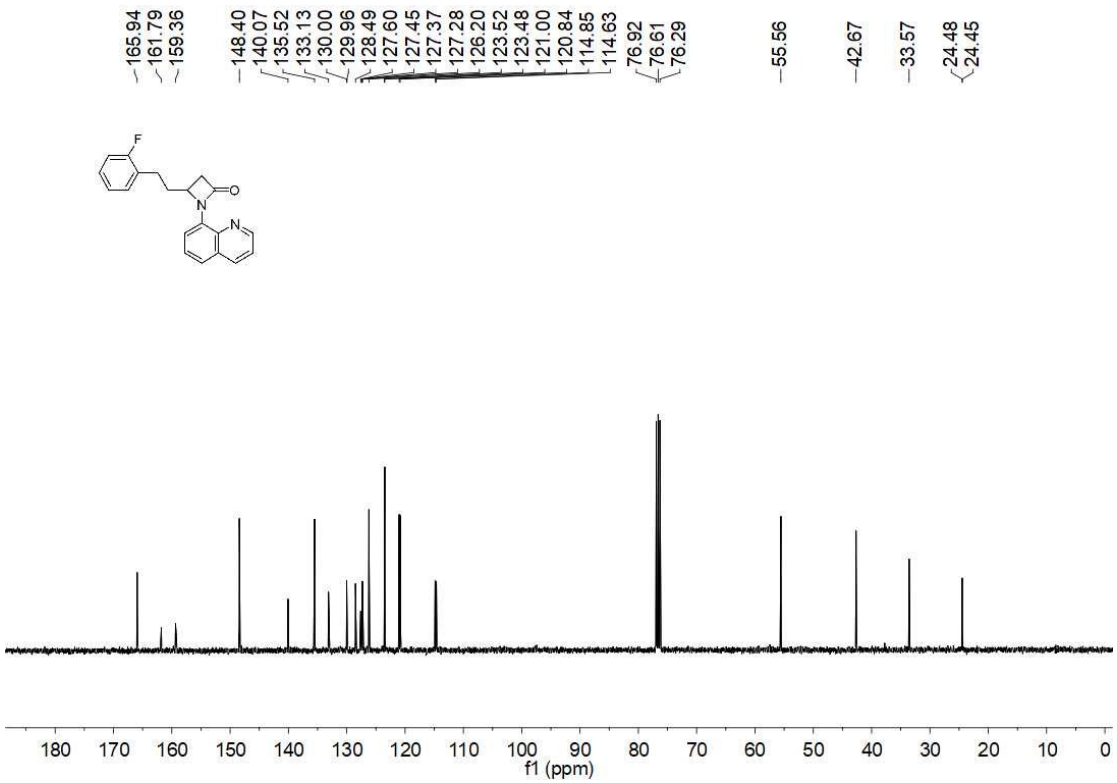
^{1}H NMR of 5b


8.74
8.74
8.73
8.73
8.28
8.28
8.26
8.26
8.11
8.10
8.09
8.08
7.57
7.56
7.55
7.54
7.51
7.49
7.47
7.39
7.37
7.36
7.35
7.16
7.14
7.13
7.12
7.11
7.10
7.04
7.04
7.02
7.02
7.00
7.00
6.98
6.98
3.36
3.35
3.32
3.31
2.86
2.85
2.82
2.81
2.73
2.71
2.69
1.82
1.81
F
O
N
N
1.00
1.00
1.01
0.99
0.99
0.99
2.03
2.01
1.01
0.99
1.03
2.00
1.01
1.15
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
f1 (ppm)

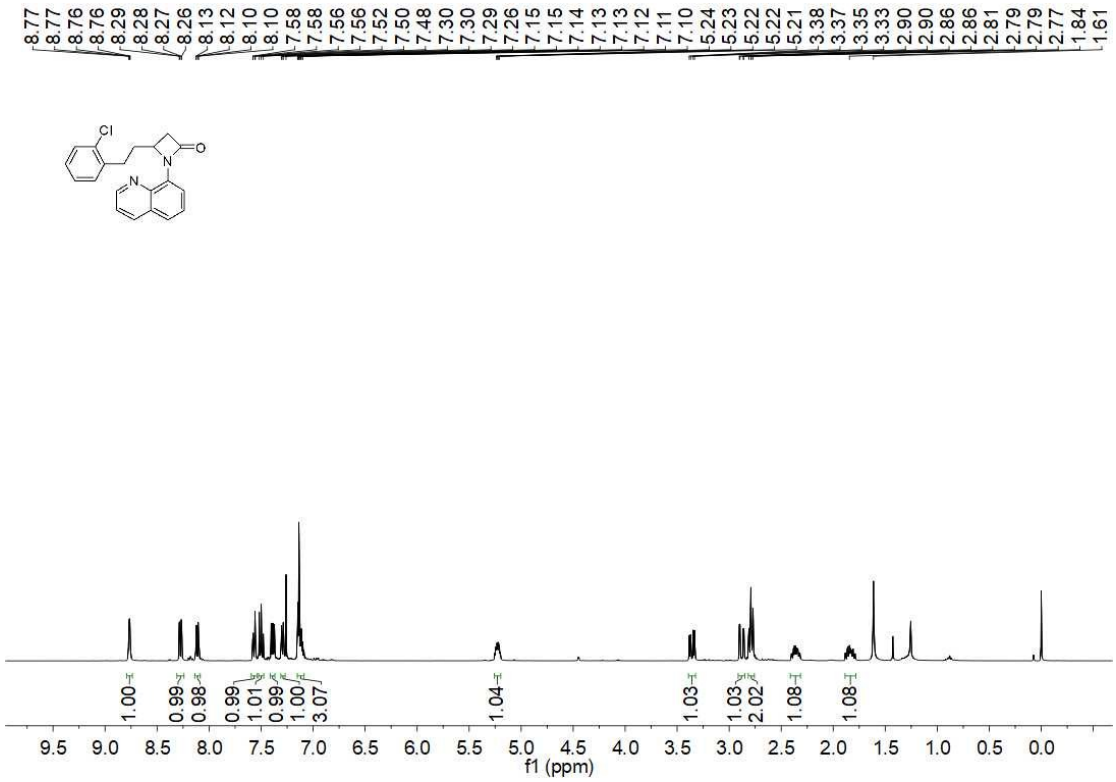
^{19}F NMR of 5b



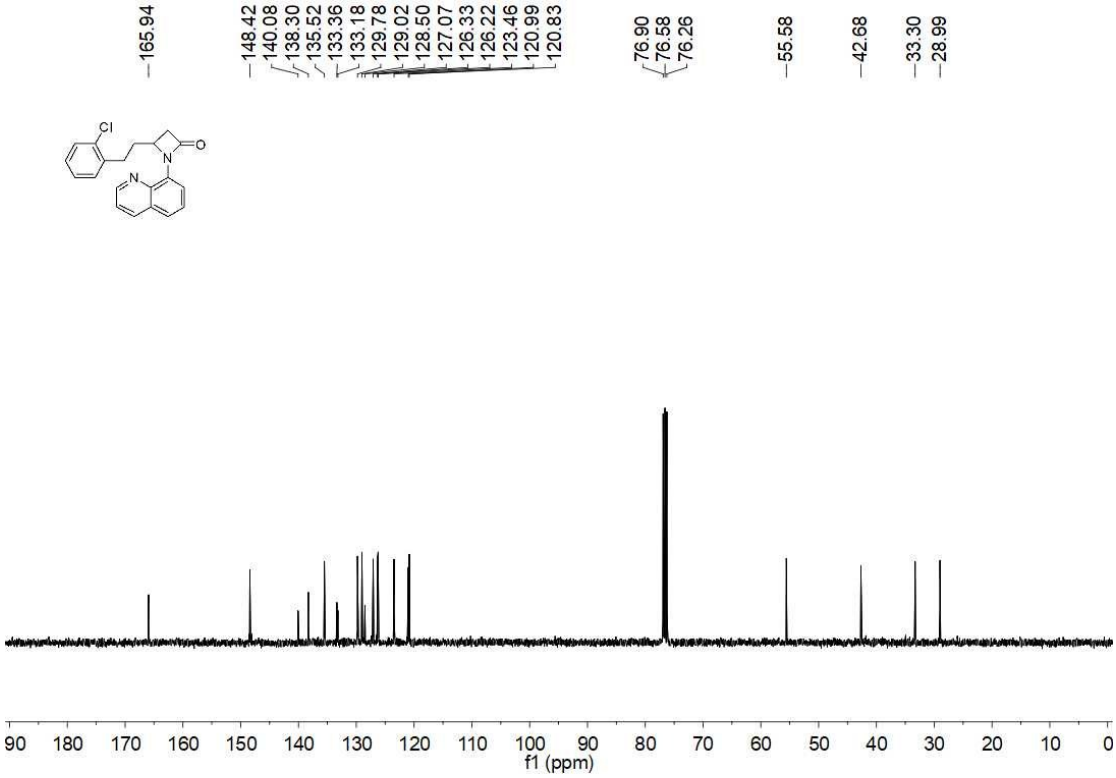
^{13}C NMR of 5b


165.94
161.79
159.36
148.40
140.07
135.52
133.13
130.00
129.96
128.49
127.60
127.45
127.37
127.28
126.20
123.52
123.48
121.00
120.84
114.85
114.63
76.92
76.61
76.29
55.56
42.67
33.57
24.48
24.45
F
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

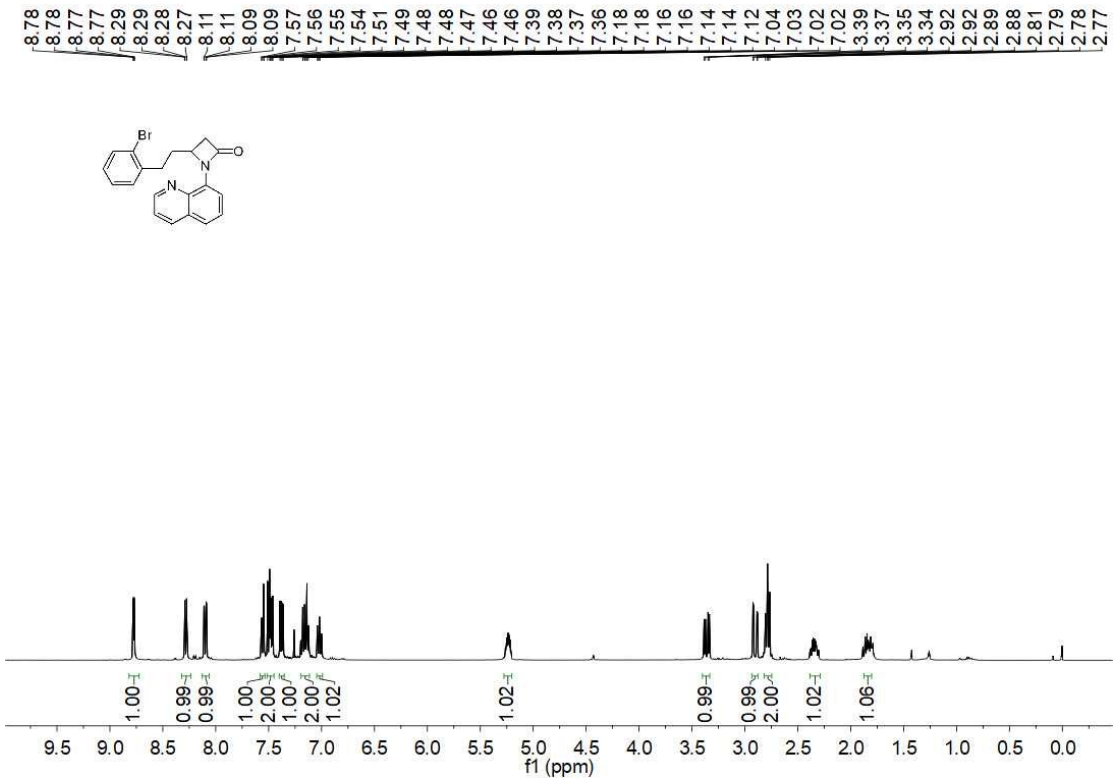
^{1}H NMR of 5c


8.77
8.77
8.76
8.76
8.29
8.28
8.27
8.26
8.13
8.12
8.10
8.10
7.58
7.58
7.56
7.56
7.52
7.50
7.48
7.30
7.30
7.29
7.26
7.15
7.15
7.14
7.13
7.13
7.12
7.11
7.10
5.24
5.23
5.22
5.22
5.21
3.38
3.37
3.35
3.33
2.90
2.90
2.86
2.86
2.81
2.79
2.79
2.77
1.84
1.61
Cl
O
N
N
1.00
0.99
0.98
0.99
1.01
0.99
1.00
3.07
1.04
1.03
1.03
2.02
1.08
1.08
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
f1 (ppm)

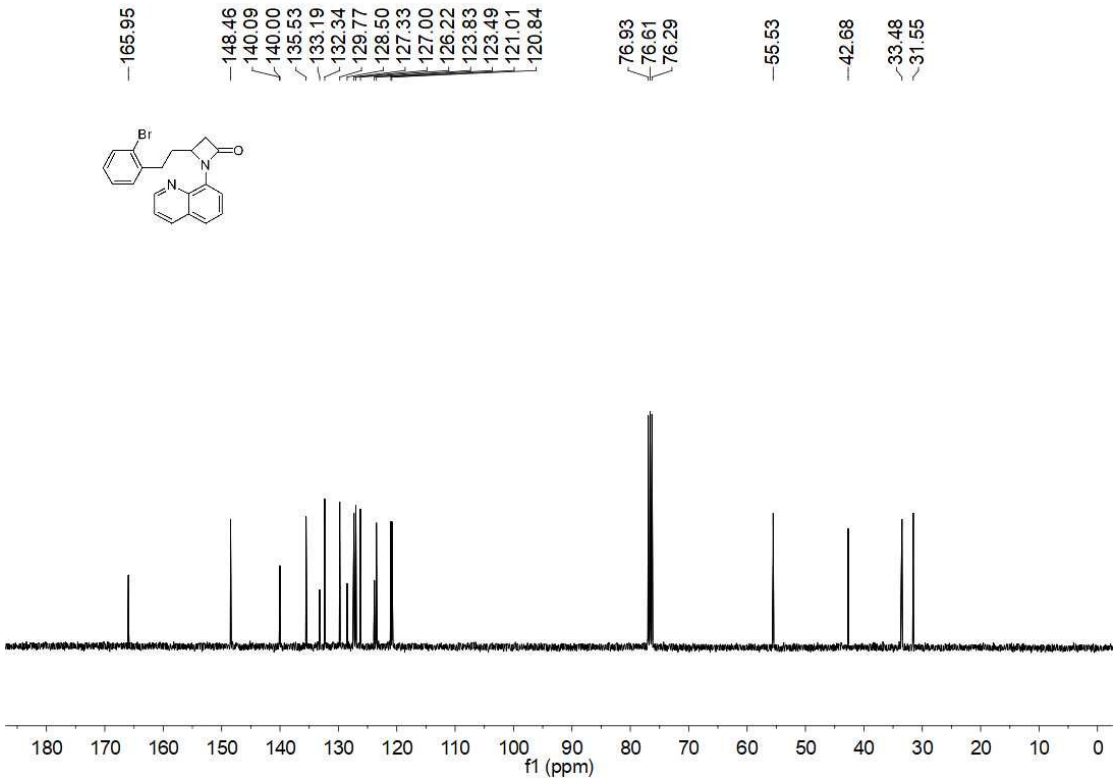
^{13}C NMR of 5c


165.94
148.42
140.08
138.30
135.52
133.36
133.18
129.78
129.02
128.50
127.07
126.33
126.22
123.46
120.99
120.83
76.90
76.58
76.26
55.58
42.68
33.30
28.99
Cl
O
N
N
90 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

[1]H NMR of 5d



[13]C NMR of 5d


165.95
148.46
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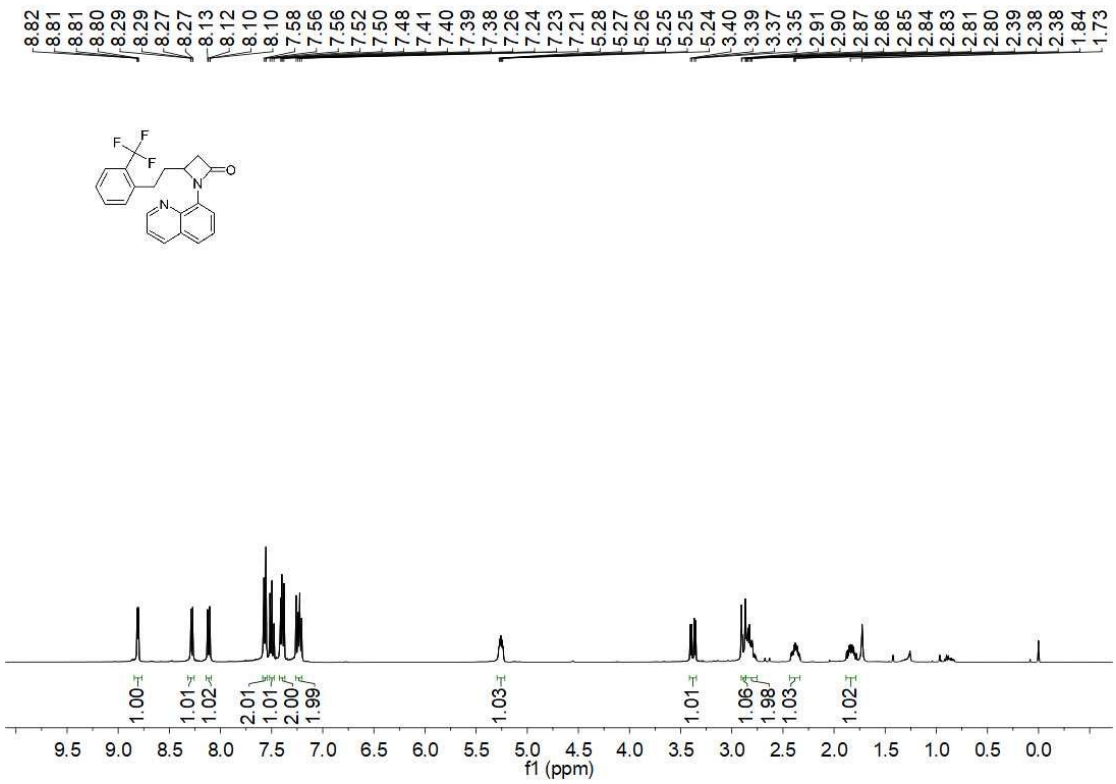
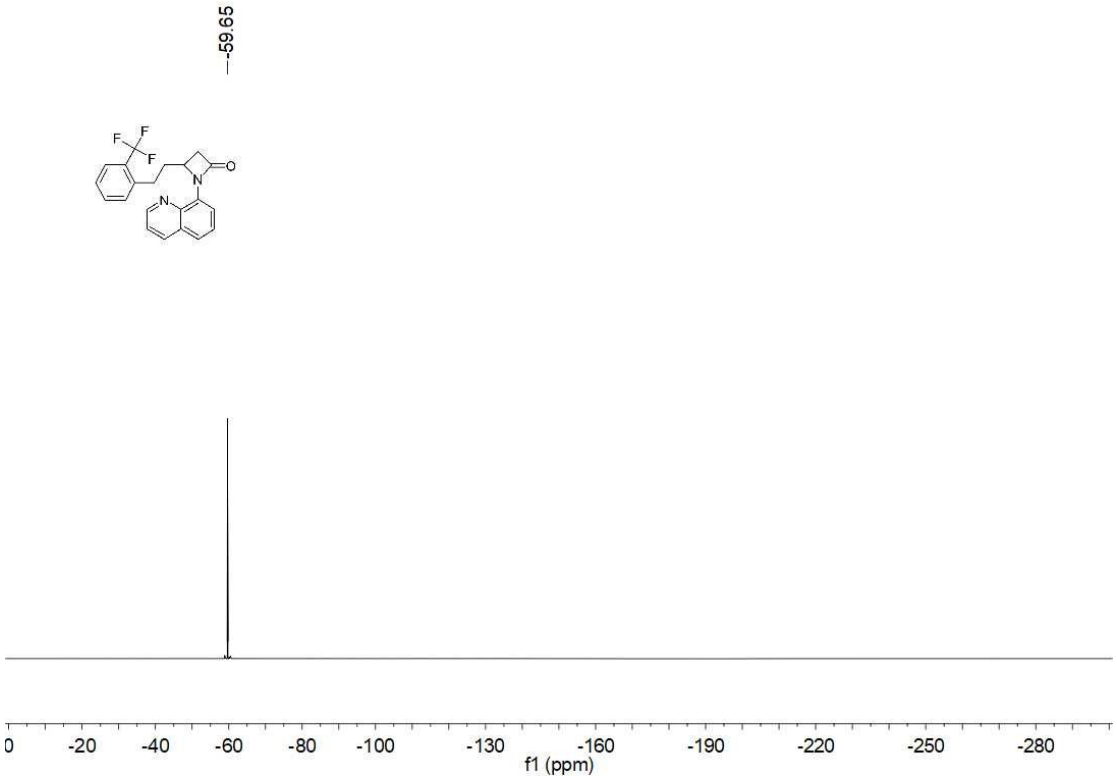
140.00
135.53
133.19
132.34
129.77
128.50
127.33
127.00
126.22
123.83
123.49
121.01
120.84
76.93
76.61
76.29
55.53
42.68
33.48
31.55
Br
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

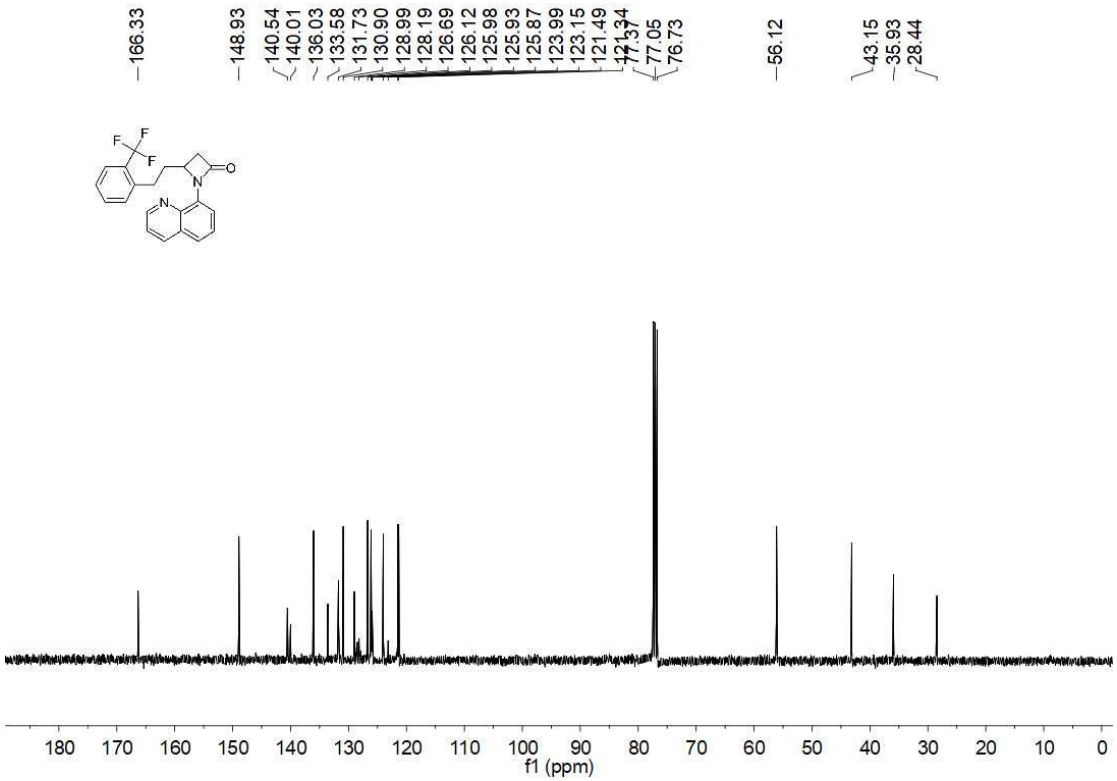
^{1}H NMR of 5e


8.82
8.81
8.81
8.80
8.29
8.29
8.27
8.27
8.13
8.12
8.10
8.10
7.58
7.56
7.56
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
7.24
7.23
7.21
5.28
5.27
5.26
5.25
5.25
5.24
3.40
3.39
3.37
3.35
2.91
2.90
2.87
2.86
2.85
2.84
2.83
2.81
2.80
2.39
2.38
2.38
1.84
1.73
F
F
F
O
N
N
1.00
1.01
1.02
2.01
1.01
2.00
1.99
1.03
1.01
1.06
1.98
1.03
1.02
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
f1 (ppm)

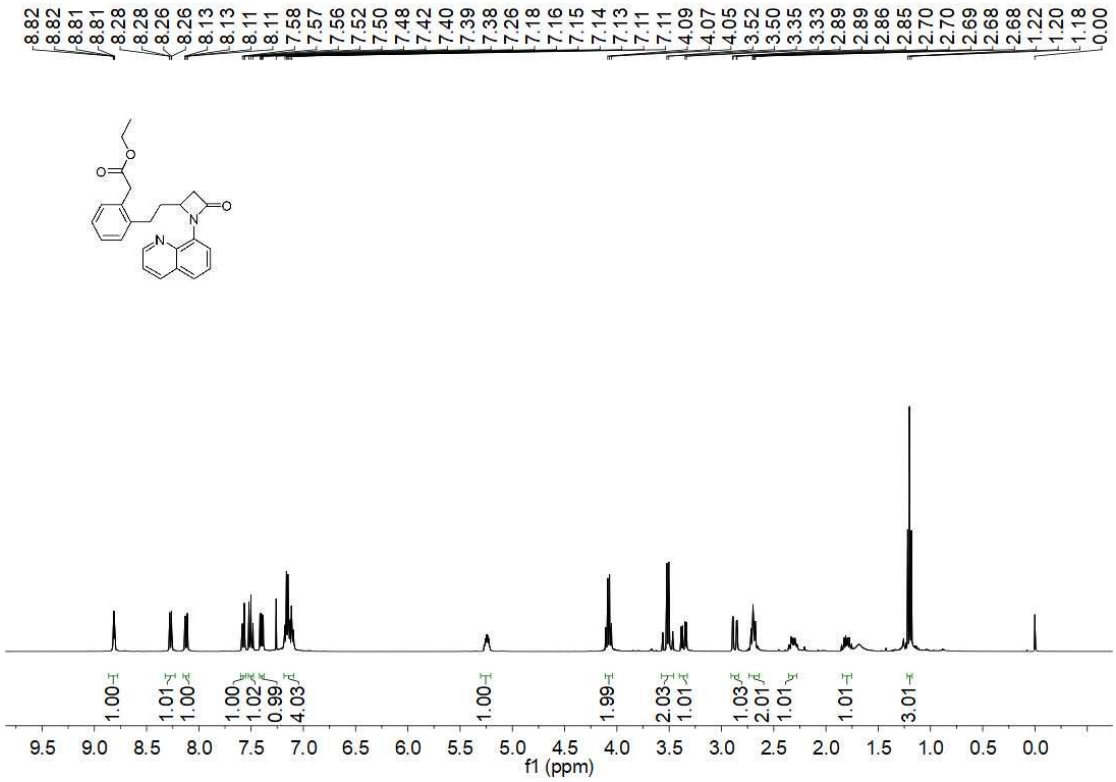
^{19}F NMR of 5e



^{13}C NMR of 5e


166.33
148.93
140.54
140.01
136.03
133.58
131.73
130.90
128.99
128.19
126.69
126.12
125.98
125.93
125.87
123.99
123.15
121.49
77.37
77.05
76.73
56.12
43.15
35.93
28.44
F
F
F
N
O
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5f


8.82
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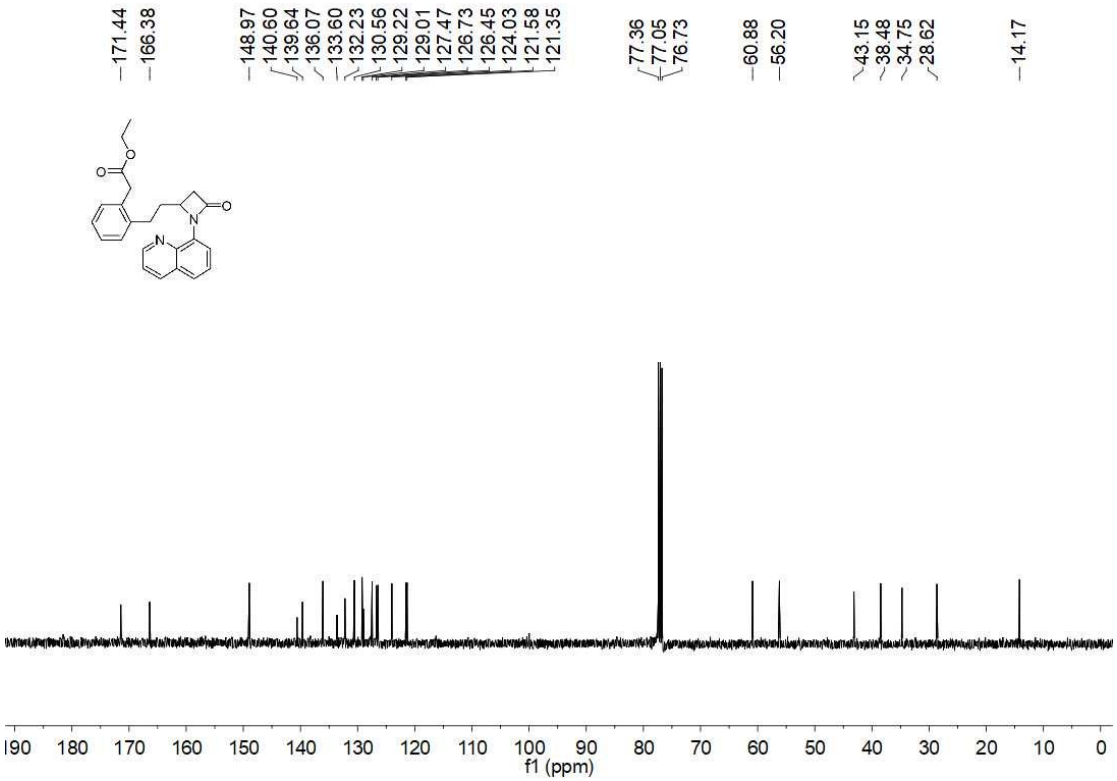
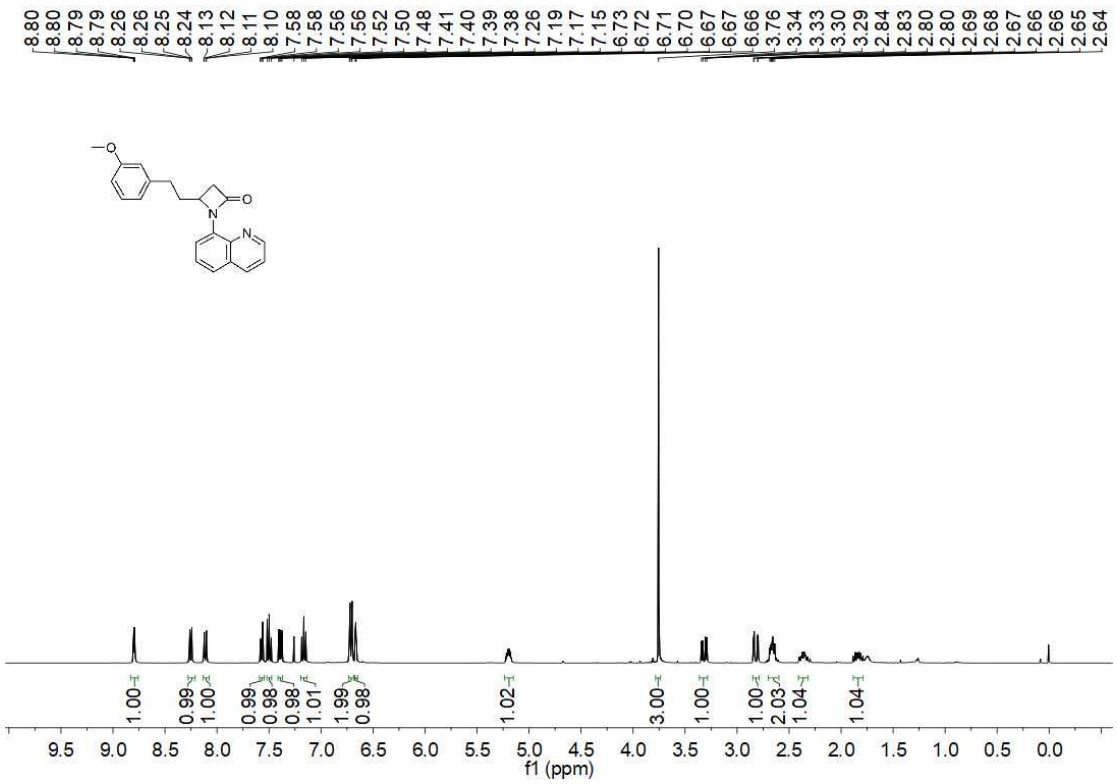
8.81
8.81
8.28
8.28
8.26
8.26
8.13
8.13
8.11
8.11
7.58
7.57
7.56
7.52
7.50
7.48
7.42
7.40
7.39
7.38
7.26
7.18
7.16
7.15
7.14
7.13
7.11
7.11
4.09
4.07
4.05
3.52
3.50
3.35
3.33
2.89
2.89
2.86
2.85
2.70
2.70
2.69
2.68
2.68
1.22
1.20
1.18
0.00
O
O
N
O
N
1.00
1.01
1.00
1.00
1.02
0.99
4.03
1.00
1.99
2.03
1.01
1.03
2.01
1.01
1.01
3.01
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
f1 (ppm)

^{13}C NMR of 5f


171.44
166.38
148.97
140.60
139.64
136.07
133.60
132.23
130.56
129.22
129.01
127.47
126.73
126.45
124.03
121.58
121.35
77.36
77.05
76.73
60.88
56.20
43.15
38.48
34.75
28.62
14.17
O
O
N
O
N
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5g


8.80
8.80
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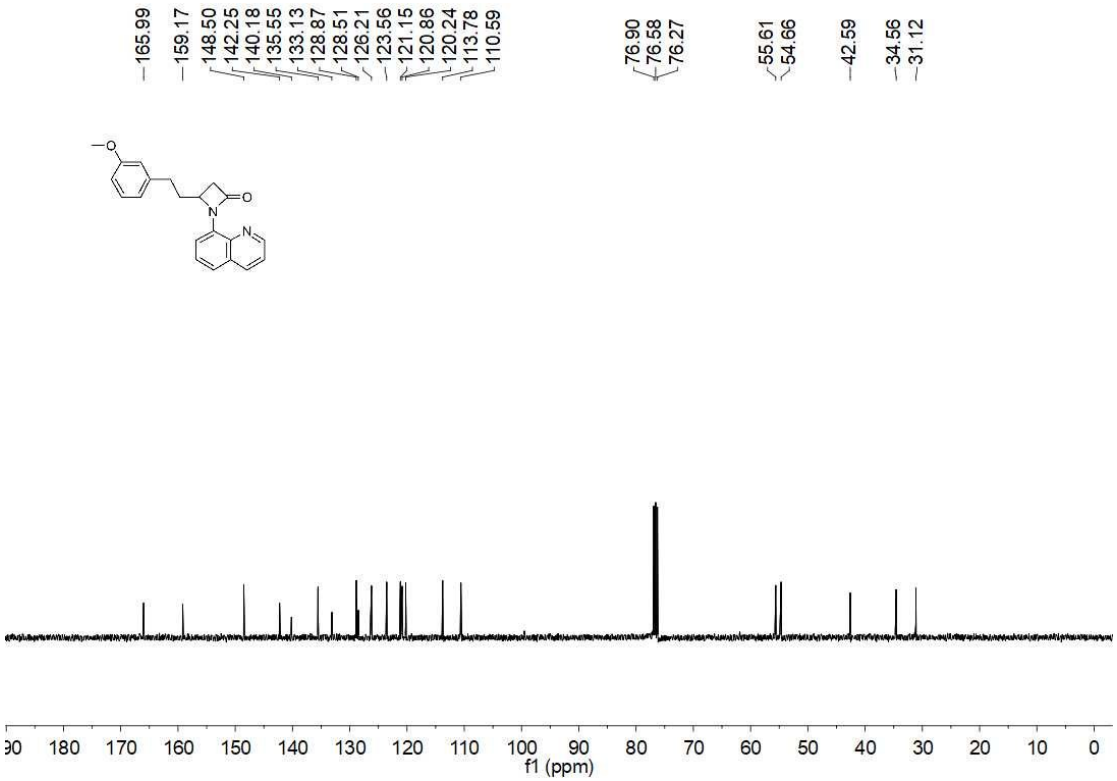
8.26
8.25
8.24
8.13
8.12
8.11
8.10
7.58
7.58
7.56
7.56
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
7.19
7.17
7.15
6.73
6.72
6.71
6.70
6.67
6.67
6.66
3.76
3.34
3.33
3.30
3.29
2.84
2.83
2.80
2.80
2.69
2.68
2.67
2.66
2.66
2.65
2.64
O
N
O
N
1.00
0.99
1.00
0.99
0.98
0.98
1.01
1.99
0.98
1.02
3.00
1.00
1.00
2.03
1.04
1.04
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
f1 (ppm)

^{13}C NMR of 5g


165.99
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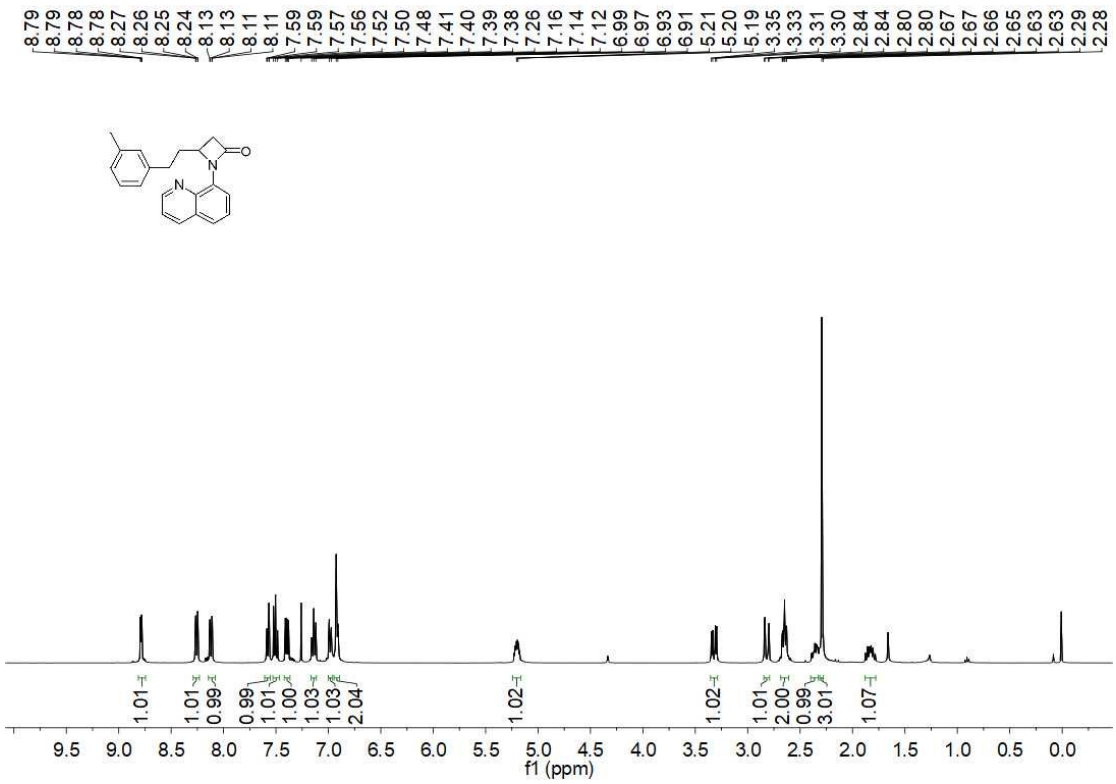
142.25
140.18
135.55
133.13
128.87
128.51
126.21
123.56
121.15
120.86
120.24
113.78
110.59
76.90
76.58
76.27
55.61
54.66
42.59
34.56
31.12
O
N
O
N
f1 (ppm)

^{1}H NMR of 5h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8.78
8.78
8.27
8.26
8.25
8.24
8.13
8.13
8.11
8.11
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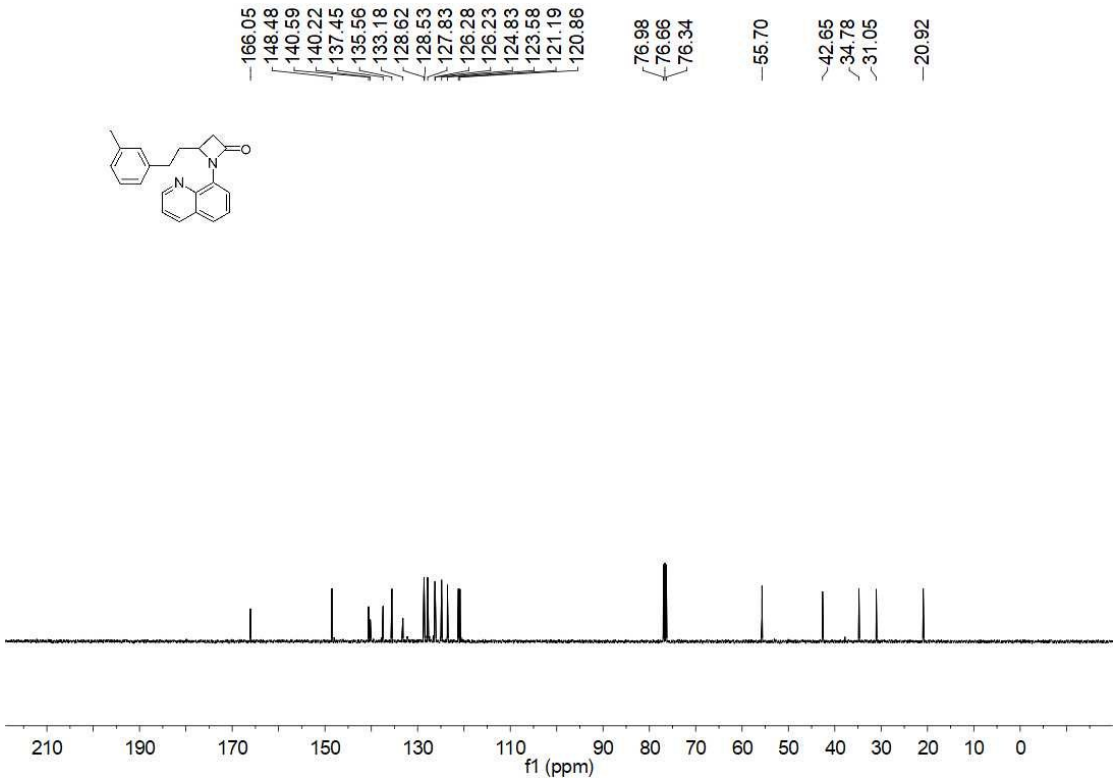
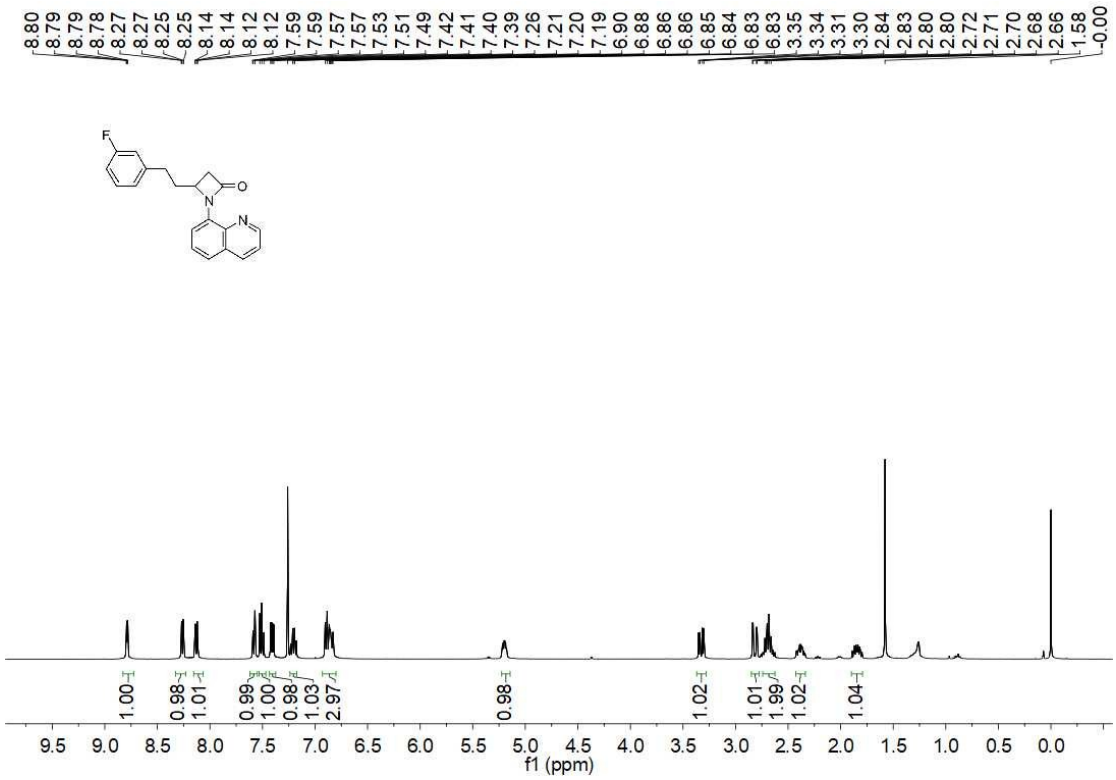
7.59
7.57
7.56
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
7.16
7.14
7.12
6.99
6.97
6.93
6.91
5.21
5.20
5.19
3.35
3.33
3.31
3.30
2.84
2.84
2.80
2.80
2.67
2.67
2.66
2.65
2.63
2.63
2.29
2.28
O
N
N
1.01
1.01
0.99
0.99
1.01
1.00
1.03
1.03
2.04
1.02
1.02
1.01
2.00
0.99
3.01
1.07
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

^{13}C NMR of 5h


166.05
148.48
140.59
140.22
137.45
135.56
133.18
128.62
128.53
127.83
126.28
126.23
124.83
123.58
121.19
120.86
76.98
76.66
76.34
55.70
42.65
34.78
31.05
20.92
O
N
N
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 5i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8.79
8.79
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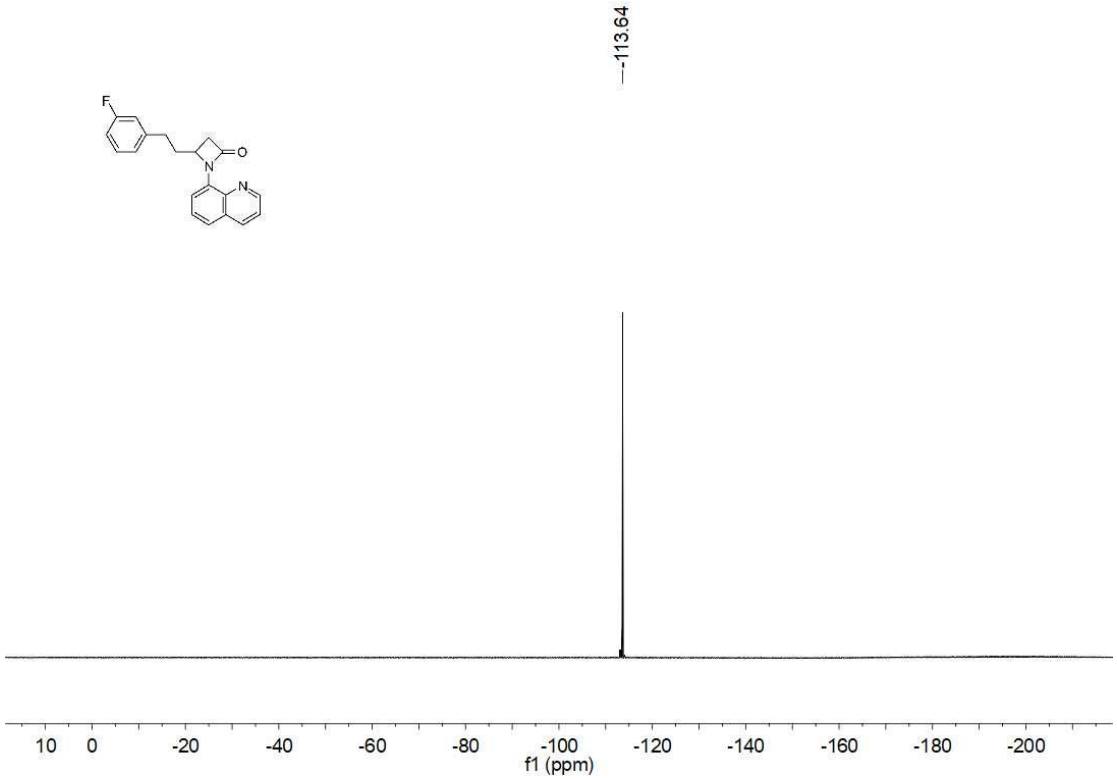
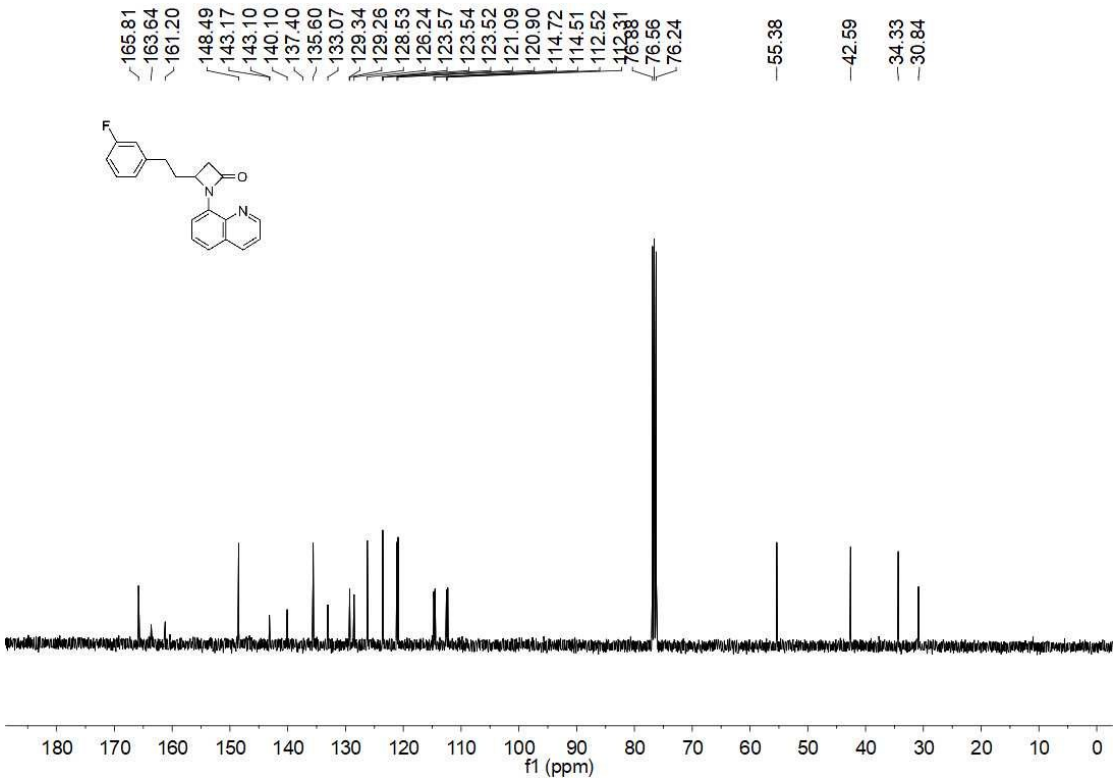
8.25
8.25
8.14
8.14
8.12
8.12
7.59
7.59
7.57
7.57
7.53
7.51
7.49
7.42
7.41
7.40
7.39
7.26
7.21
7.20
7.19
6.90
6.88
6.86
6.86
6.85
6.84
6.83
6.83
3.35
3.34
3.31
3.30
2.84
2.83
2.80
2.80
2.72
2.71
2.70
2.68
2.66
1.58
0.00
F
O
N
N
1.00
0.98
1.01
0.99
1.00
0.98
1.03
2.97
0.98
1.02
1.01
1.99
1.02
1.04
9.5 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
f1 (ppm)

^{19}F NMR of 5i



^{13}C NMR of 5i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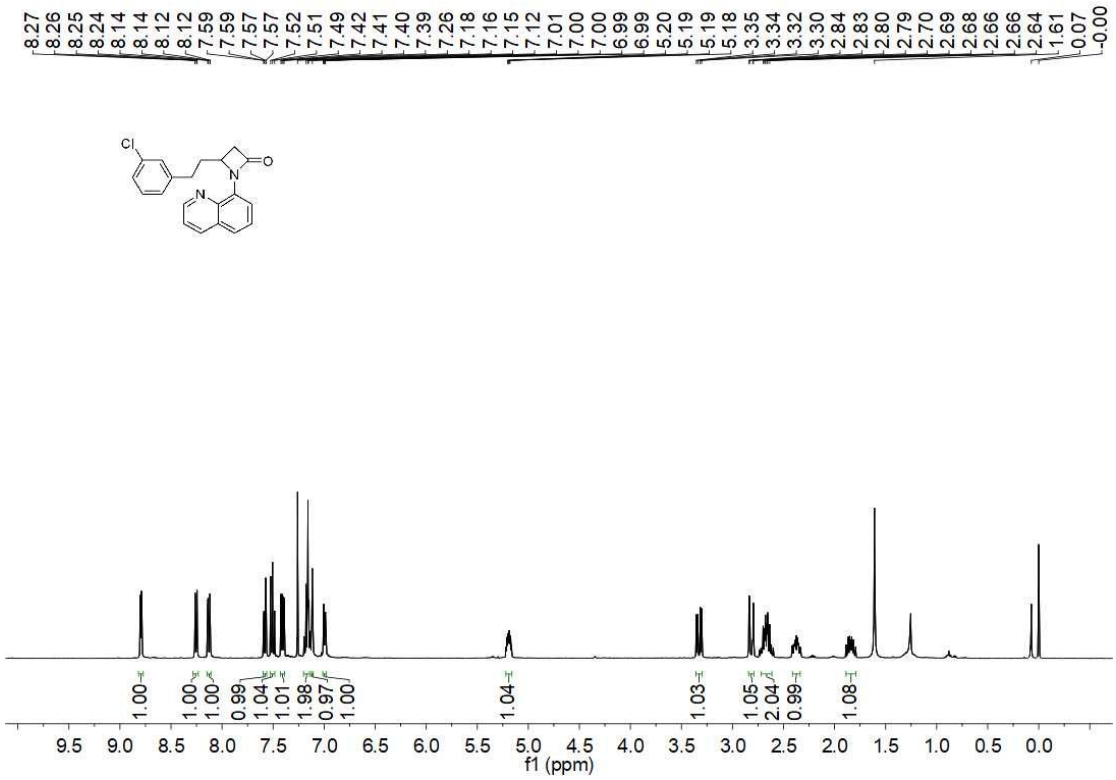
163.64
161.20
148.49
143.17
143.10
140.10
137.40
135.60
133.07
129.34
129.26
128.53
126.24
123.57
123.54
123.52
121.09
120.90
114.72
114.51
112.52
112.31
76.88
76.56
76.24
55.38
42.59
34.33
30.84
F
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 5j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8.26
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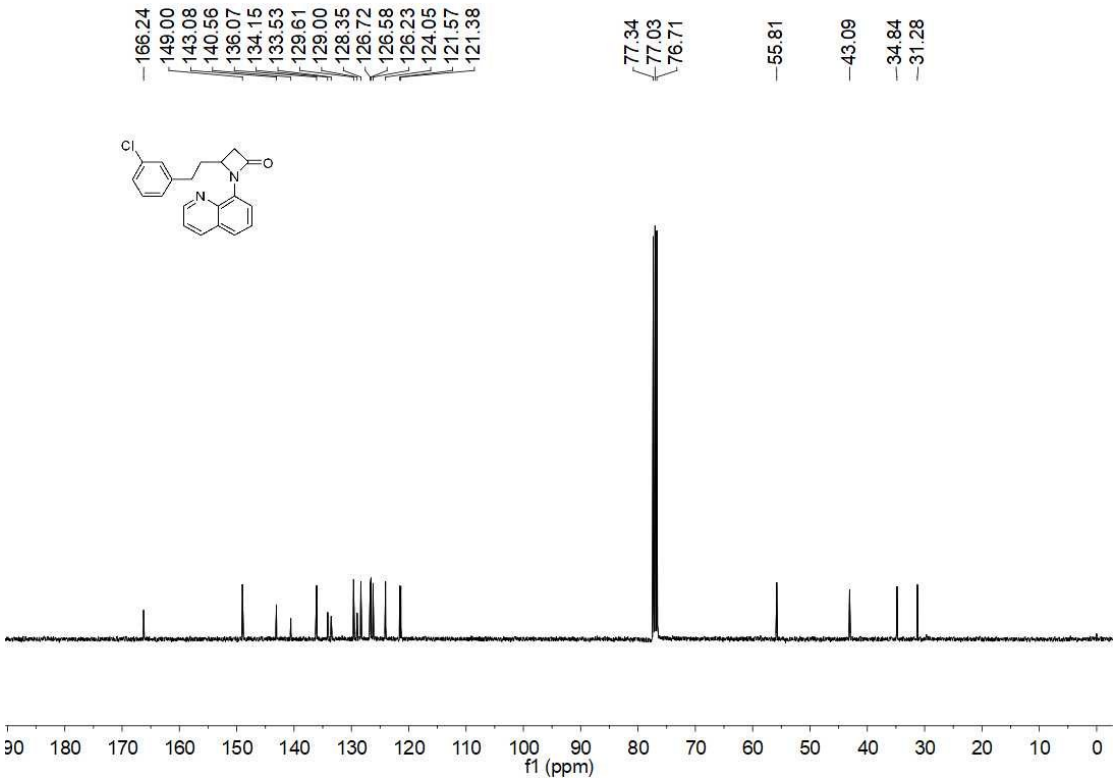
8.12
8.12
7.59
7.59
7.57
7.57
7.52
7.51
7.49
7.42
7.41
7.40
7.39
7.26
7.18
7.16
7.15
7.12
7.01
7.00
7.00
6.99
6.99
5.20
5.19
5.19
5.18
3.35
3.34
3.32
3.30
2.84
2.83
2.80
2.79
2.70
2.69
2.68
2.66
2.66
2.64
1.61
0.07
-0.00
Cl
O
N
N
1.00
1.00
1.00
0.99
1.04
1.01
1.98
0.97
1.00
1.04
1.03
1.05
2.04
0.99
1.08
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
f1 (ppm)

^{13}C NMR of 5j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143.08
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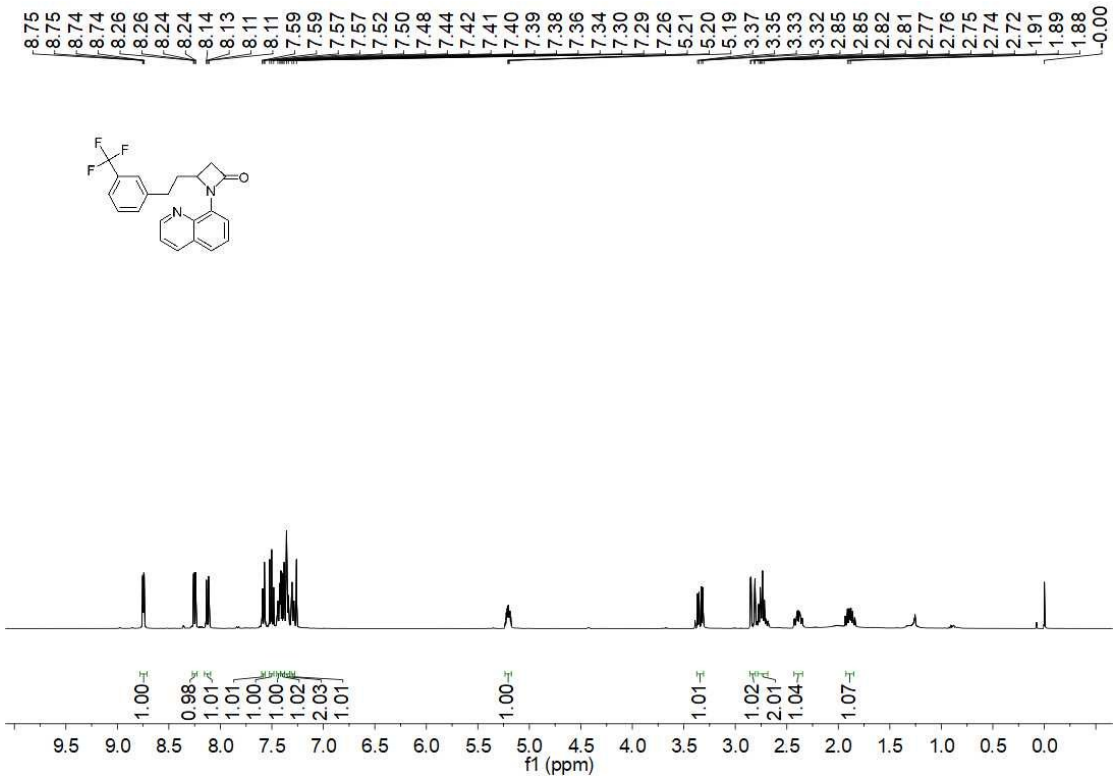
134.15
133.53
129.61
129.00
128.35
126.72
126.58
126.23
124.05
121.57
121.38
77.34
77.03
76.71
55.81
43.09
34.84
31.28
Cl
O
N
N
f1 (ppm)

[1]H NMR of 5k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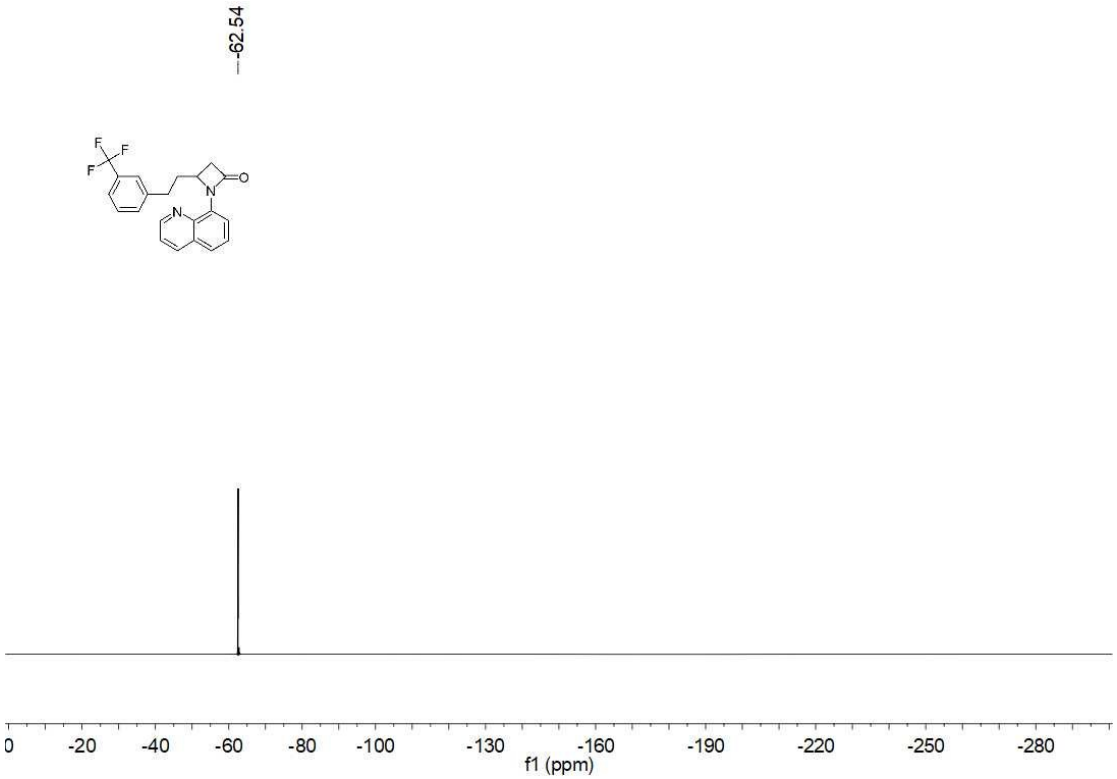
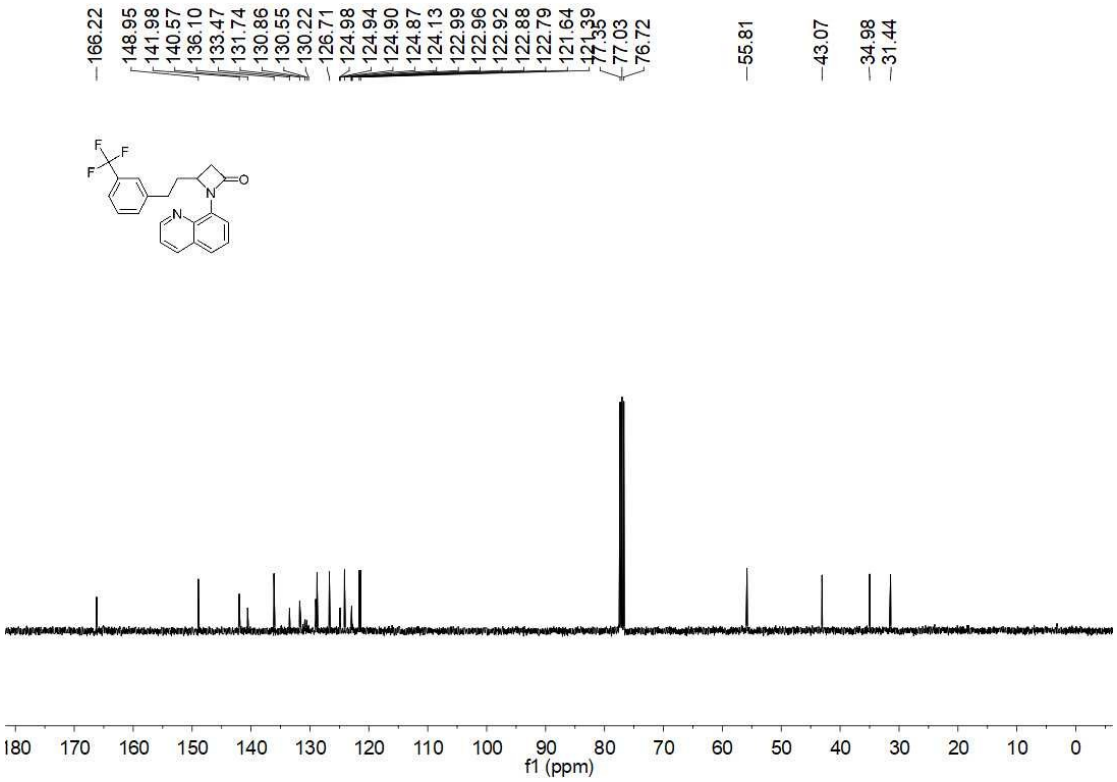
8.75
8.74
8.74
8.26
8.26
8.24
8.24
8.14
8.13
8.11
8.11
7.59
7.59
7.57
7.57
7.52
7.50
7.48
7.44
7.42
7.41
7.40
7.39
7.38
7.36
7.34
7.30
7.29
7.26
5.21
5.20
5.19
3.37
3.35
3.33
3.32
2.85
2.85
2.82
2.81
2.77
2.76
2.75
2.74
2.72
1.91
1.89
1.88
-0.00
F
F
F
N
O
N
1.00
0.98
1.01
1.01
1.00
1.00
1.02
2.03
1.01
1.00
1.01
1.02
2.01
1.04
1.07
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
f1 (ppm)

^{19}F NMR of 5k



^{13}C NMR of 5k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140.57
136.10
133.47
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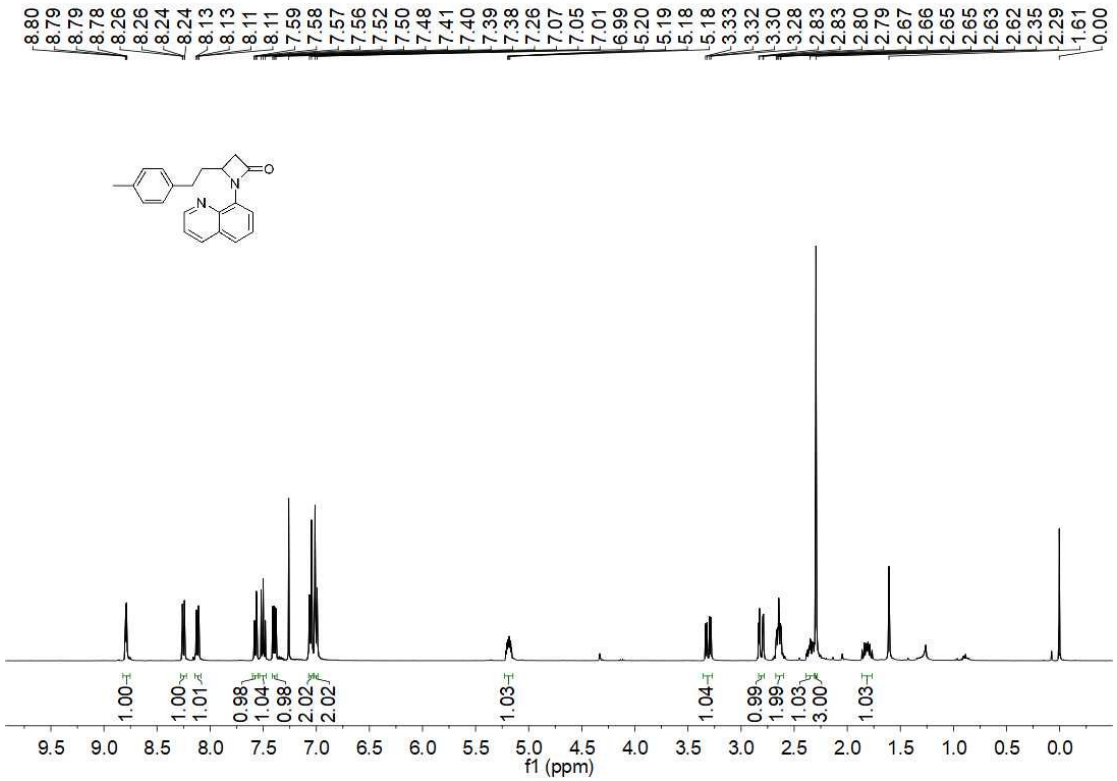
130.86
130.55
130.22
126.71
124.98
124.94
124.90
124.87
124.13
122.99
122.96
122.92
122.88
122.79
121.64
121.39
77.35
77.03
76.72
55.81
43.07
34.98
31.44
F
F
F
N
O
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 5l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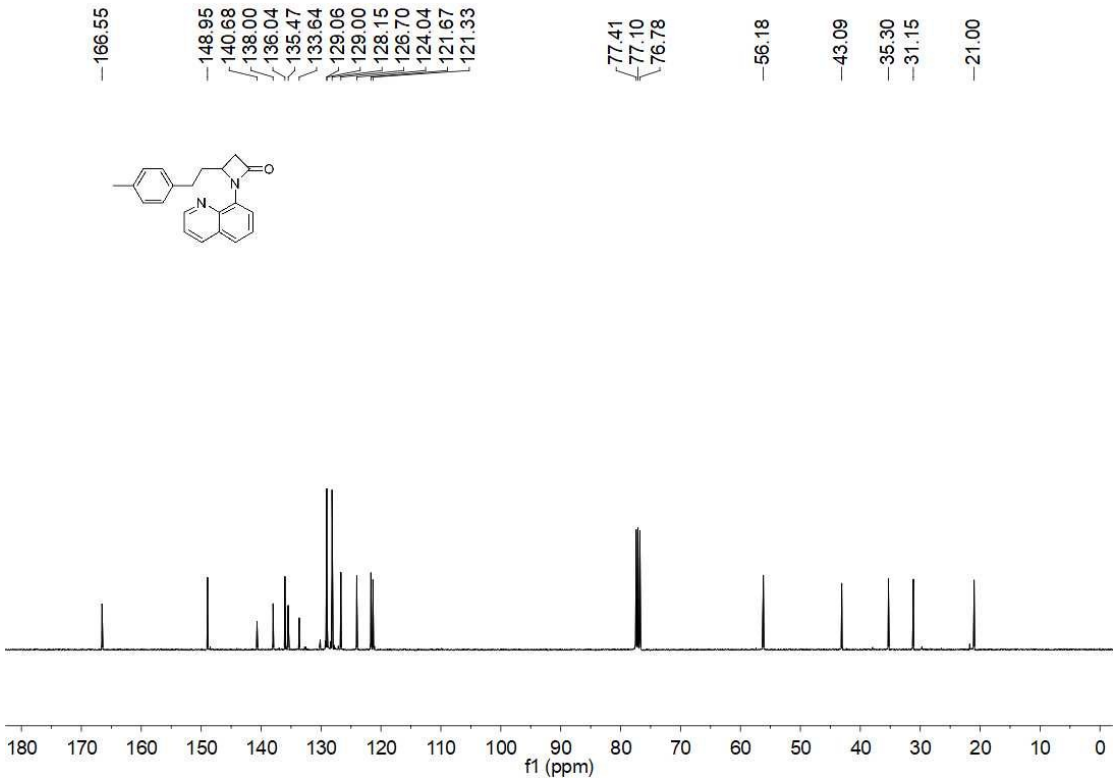
8.79
8.79
8.78
8.26
8.26
8.24
8.24
8.13
8.13
8.11
8.11
7.59
7.58
7.57
7.56
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
7.07
7.05
7.01
6.99
5.20
5.19
5.18
5.18
3.33
3.32
3.30
3.28
2.83
2.83
2.80
2.79
2.67
2.66
2.65
2.65
2.63
2.62
2.35
2.29
1.61
0.00
O
N
N
1.00
1.00
1.01
0.98
1.04
0.98
2.02
2.02
1.03
1.04
0.99
1.99
1.03
3.00
1.03
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
f1 (ppm)

^{13}C NMR of 5l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138.00
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133.64
129.06
129.00
128.15
126.70
124.04
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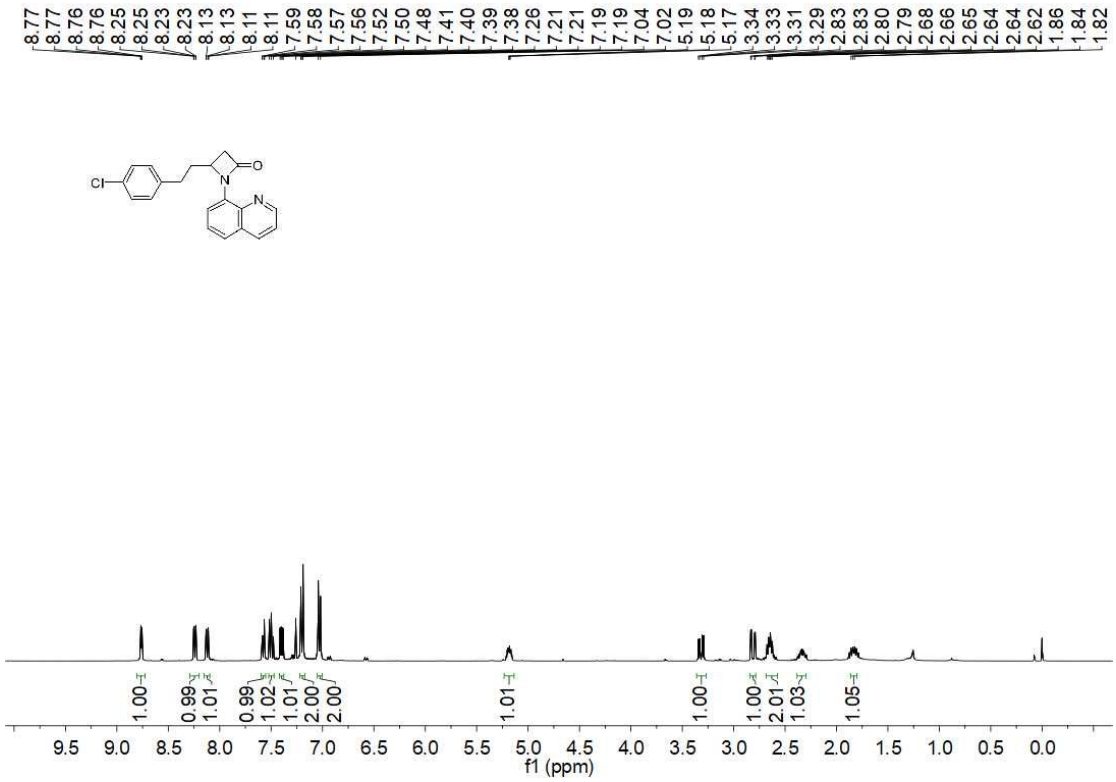
121.33
77.41
77.10
76.78
56.18
43.09
35.30
31.15
21.00
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5m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8.77
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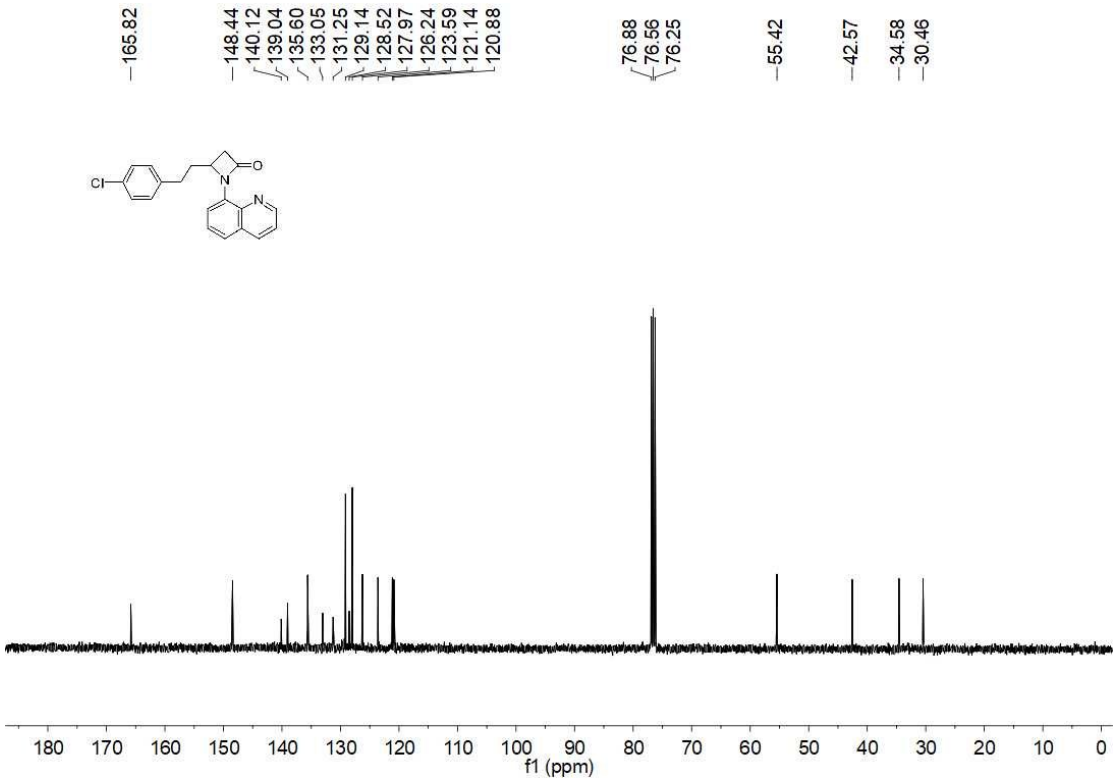
8.76
8.25
8.25
8.23
8.23
8.13
8.13
8.11
8.11
7.59
7.58
7.57
7.56
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
7.21
7.21
7.19
7.19
7.04
7.02
5.19
5.18
5.17
3.34
3.33
3.31
3.29
2.83
2.80
2.79
2.68
2.66
2.65
2.64
2.64
2.62
1.86
1.84
1.82
Cl
O
N
N
1.00
0.99
1.01
0.99
1.02
1.01
2.00
2.00
1.01
1.00
1.00
2.01
1.03
1.05
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
f1 (ppm)

^{13}C NMR of 5m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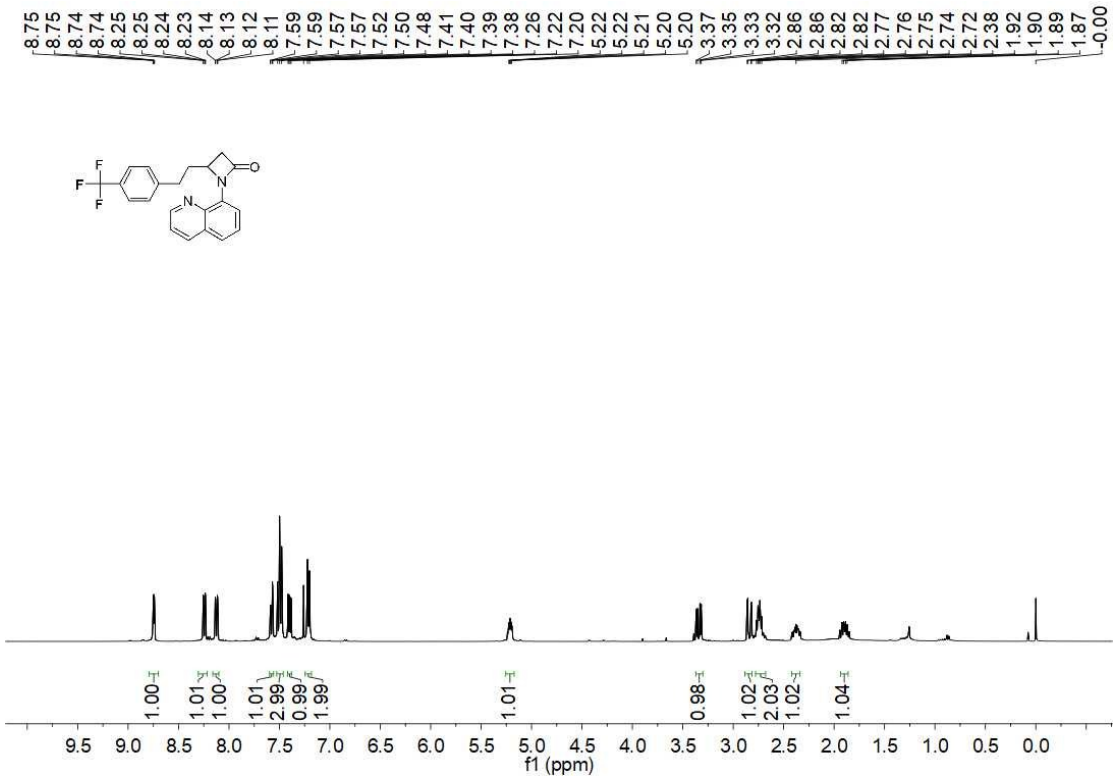
140.12
139.04
135.60
133.05
131.25
129.14
128.52
127.97
126.24
123.59
121.14
120.88
76.88
76.56
76.25
55.42
42.57
34.58
30.46
Cl
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5n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8.74
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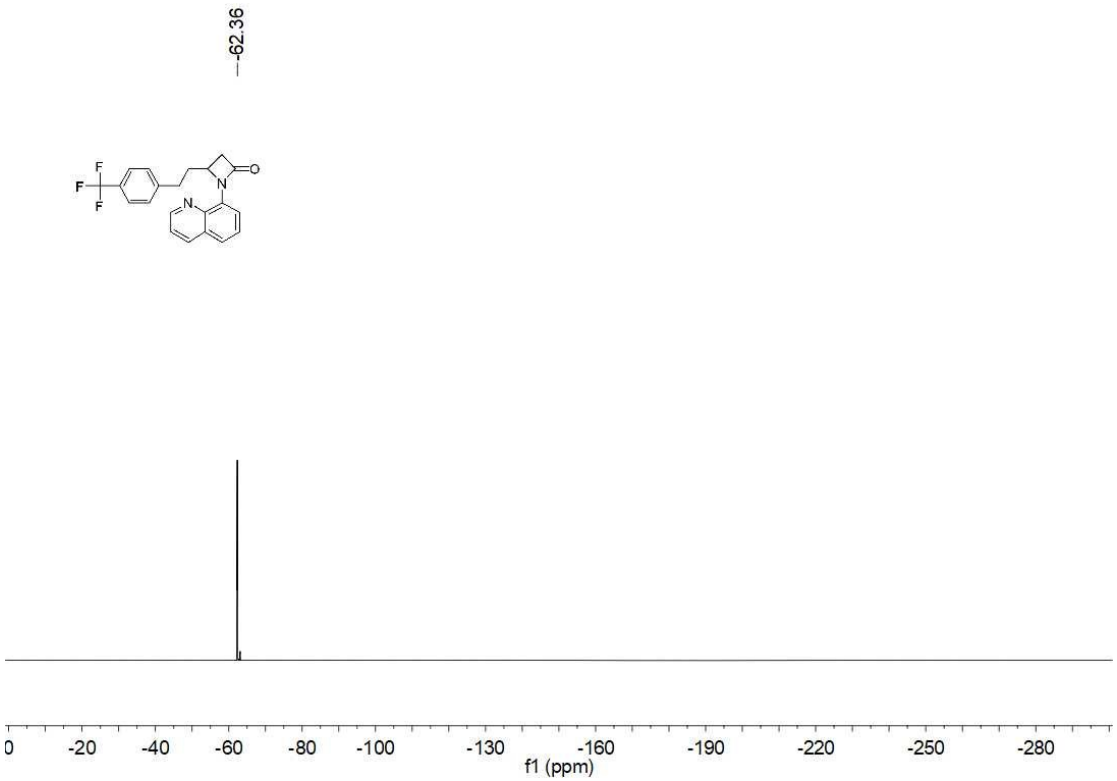
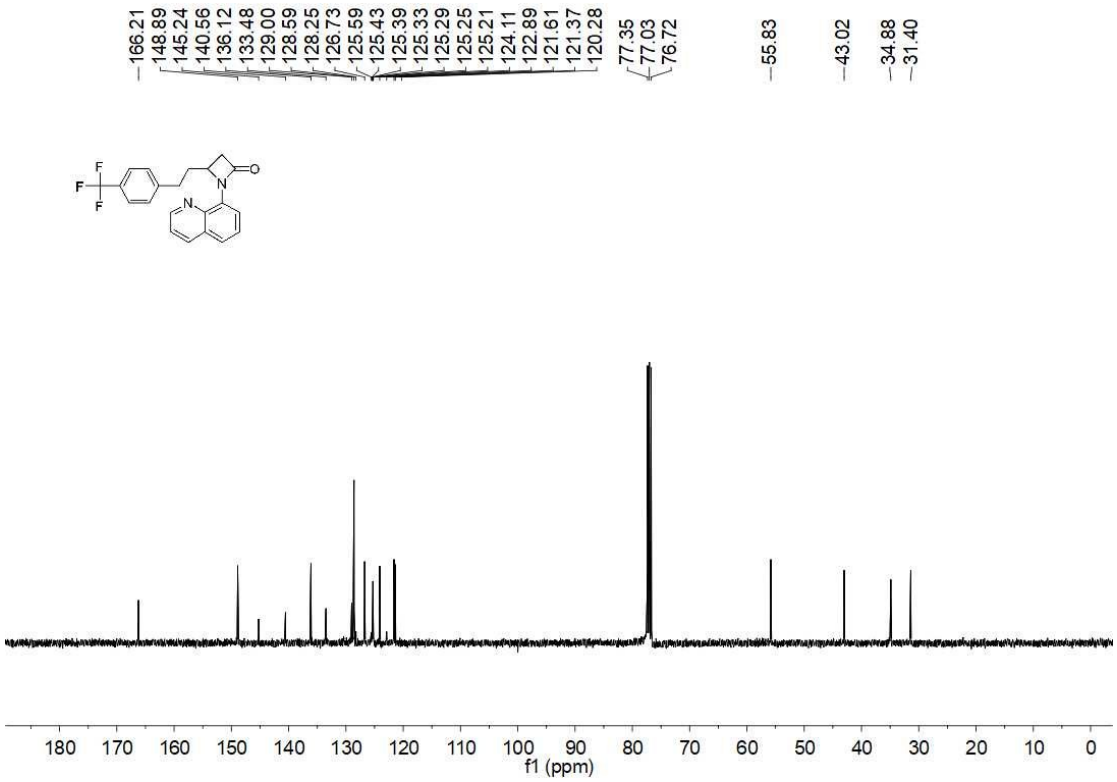
8.25
8.24
8.23
8.14
8.13
8.12
8.11
7.59
7.59
7.57
7.57
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
7.22
7.20
5.22
5.22
5.21
5.20
5.20
3.37
3.35
3.33
3.32
2.86
2.86
2.82
2.82
2.77
2.76
2.75
2.74
2.72
2.38
1.92
1.90
1.89
1.87
-0.00
F
F
F
N
O
N
1.00
1.01
1.00
1.01
2.99
0.99
1.99
1.01
0.98
1.02
2.03
1.02
1.04
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
f1 (ppm)

^{19}F NMR of 5n



^{13}C NMR of 5n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140.56
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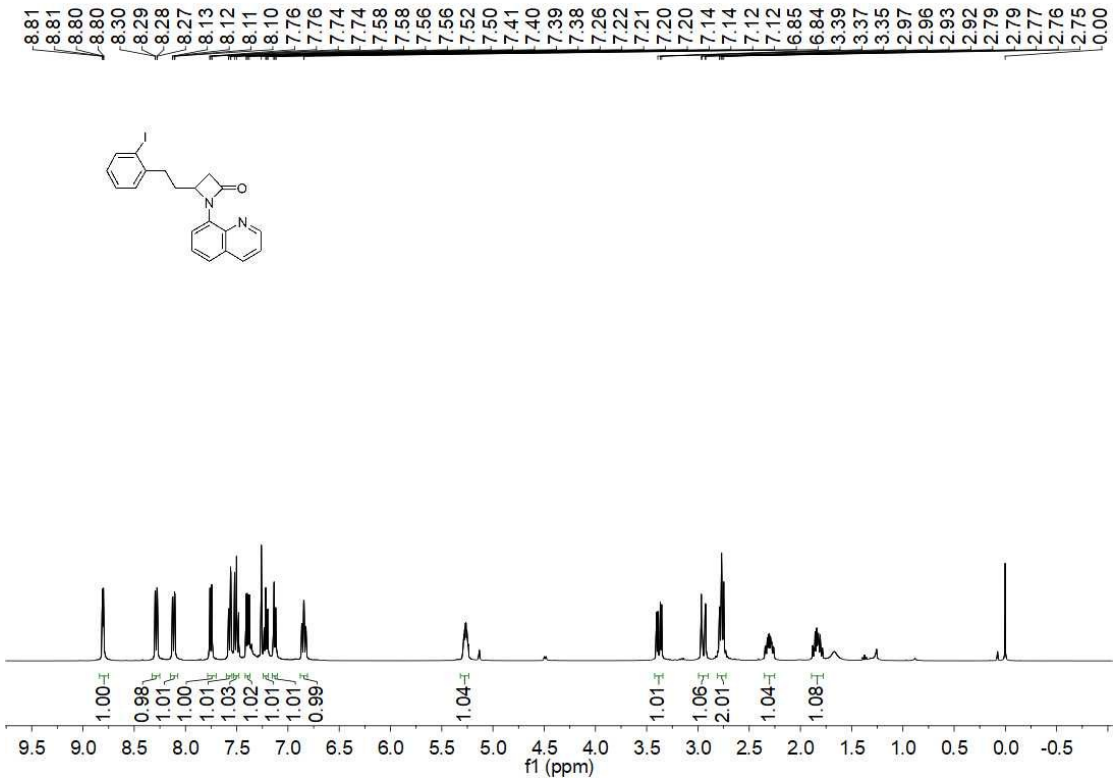
133.48
129.00
128.59
128.25
126.73
125.59
125.43
125.39
125.33
125.29
125.25
125.21
124.11
122.89
121.61
121.37
120.28
77.35
77.03
76.72
55.83
43.02
34.88
31.40
F
F
F
N
O
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 5o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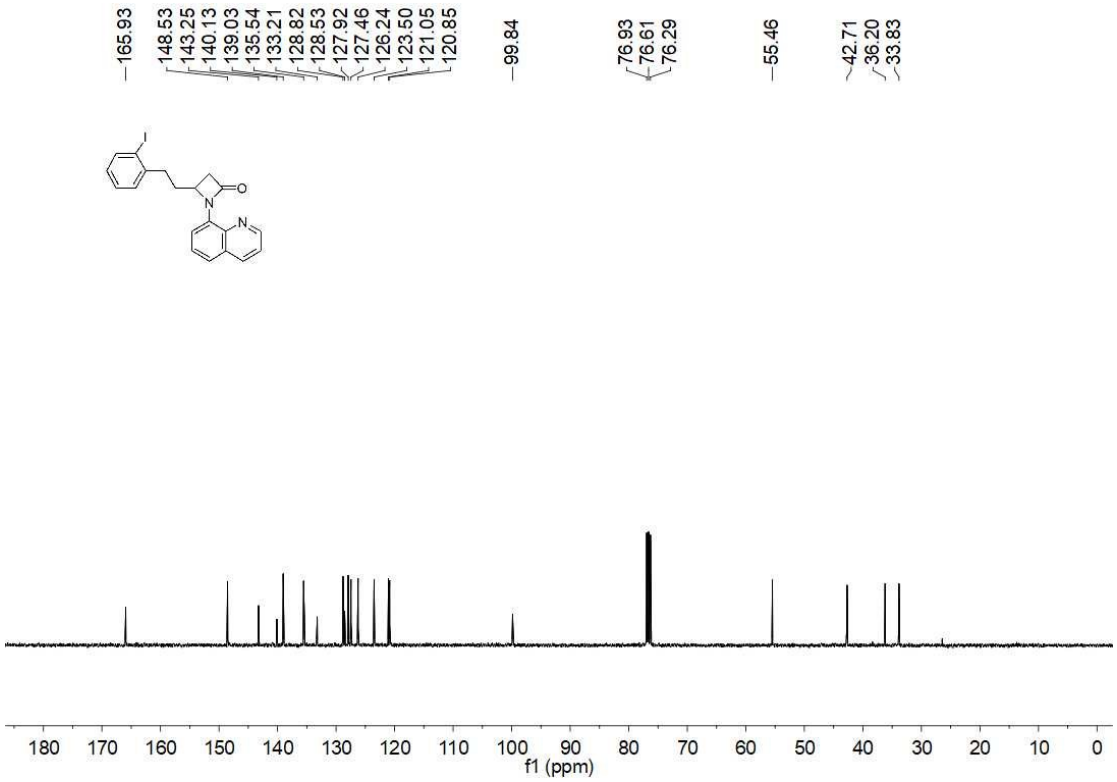
8.80
8.80
8.30
8.29
8.28
8.27
8.13
8.12
8.11
8.10
7.76
7.76
7.74
7.74
7.58
7.58
7.56
7.56
7.52
7.50
7.41
7.40
7.39
7.38
7.26
7.22
7.21
7.20
7.20
7.14
7.14
7.12
7.12
6.85
6.84
3.39
3.37
3.35
2.97
2.96
2.93
2.92
2.79
2.79
2.77
2.76
2.75
0.00
I
O
N
N
1.00
0.98
1.01
1.00
1.01
1.03
1.02
1.01
1.01
0.99
1.04
1.01
1.06
2.01
1.04
1.08
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 -0.5
f1 (ppm)

^{13}C NMR of 5o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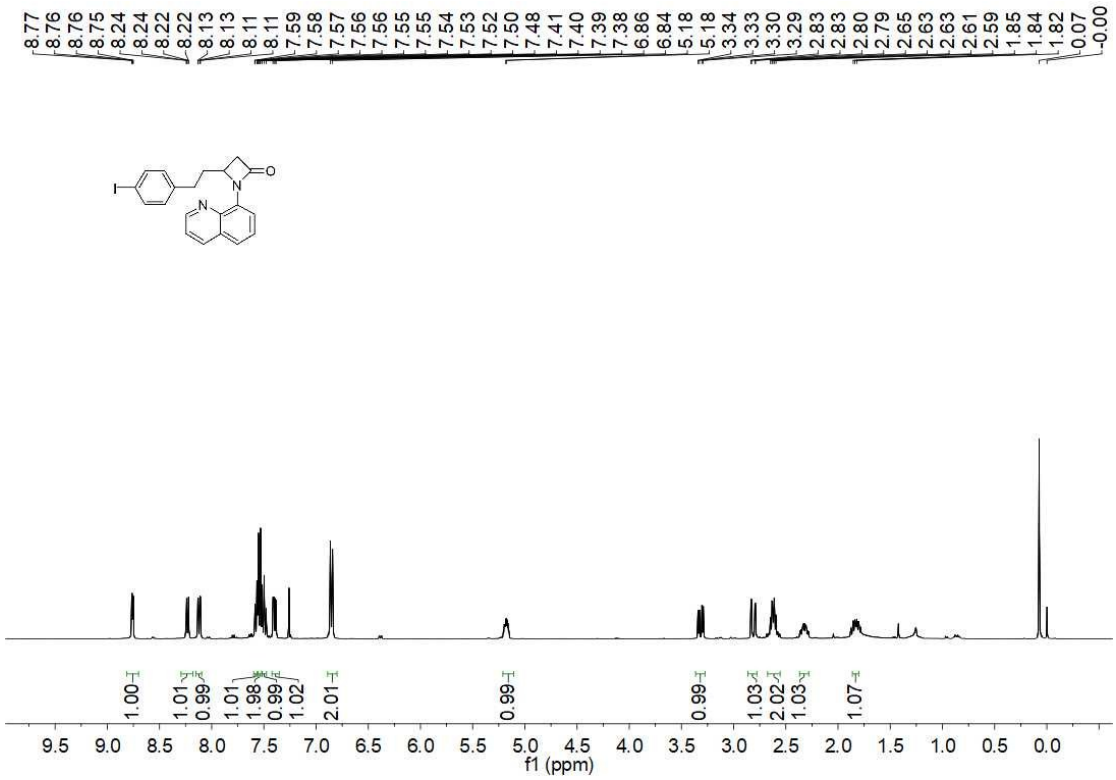
135.54
133.21
128.82
128.53
127.92
127.46
126.24
123.50
121.05
120.85
99.84
76.93
76.61
76.29
55.46
42.71
36.20
33.83
I
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5p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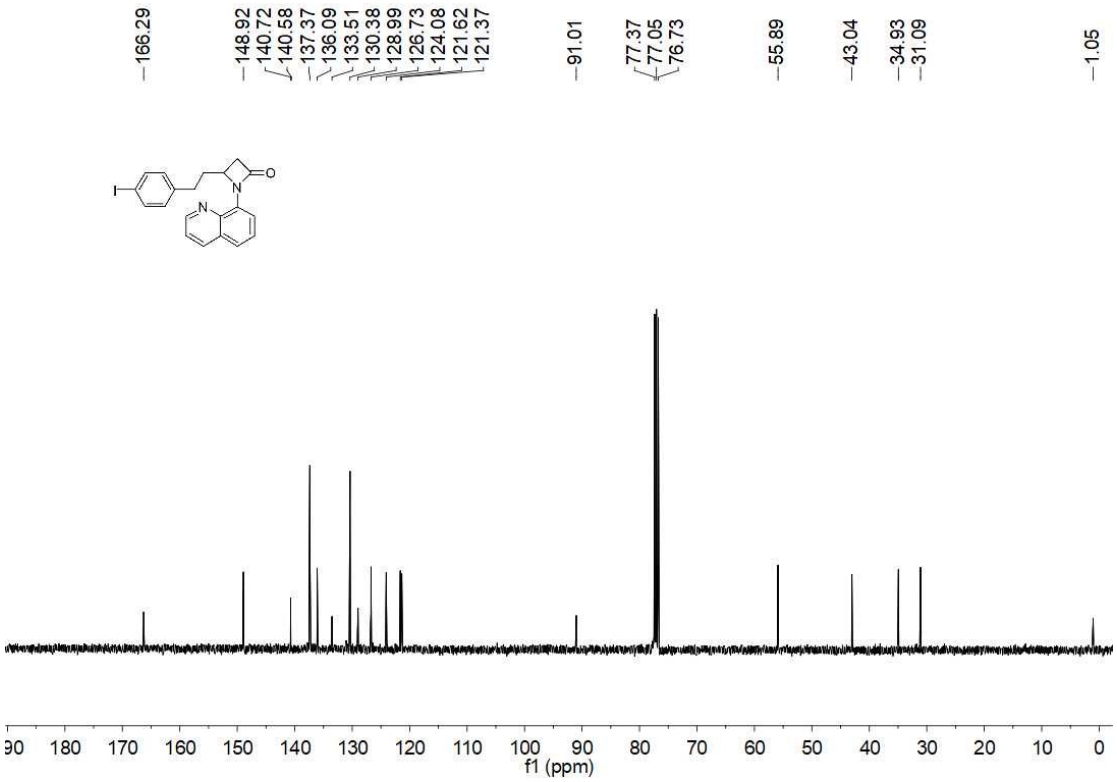
8.76
8.75
8.24
8.24
8.22
8.22
8.13
8.13
8.11
8.11
7.59
7.58
7.57
7.56
7.56
7.55
7.55
7.54
7.53
7.52
7.50
7.48
7.41
7.40
7.39
7.38
6.86
6.84
5.18
5.18
3.34
3.33
3.30
3.29
2.83
2.83
2.80
2.79
2.65
2.63
2.63
2.61
2.59
1.85
1.84
1.82
0.07
-0.00
I
O
N
N
1.00
1.01
0.99
1.01
1.98
0.99
1.02
2.01
0.99
0.99
1.03
2.02
1.03
1.07
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
f1 (ppm)

^{13}C NMR of 5p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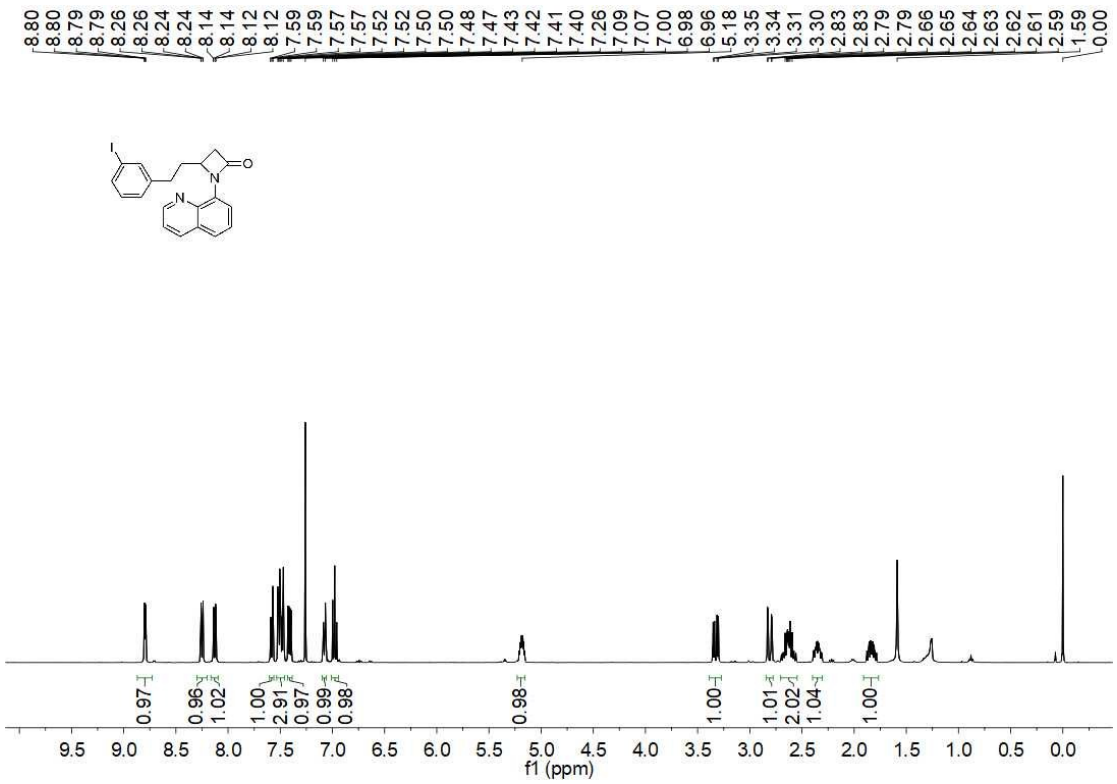
148.92
140.72
140.58
137.37
136.09
133.51
130.38
128.99
126.73
124.08
121.62
121.37
91.01
77.37
77.05
76.73
55.89
43.04
34.93
31.09
1.05
I
N
O
N
f1 (ppm)

[1]H NMR of 5q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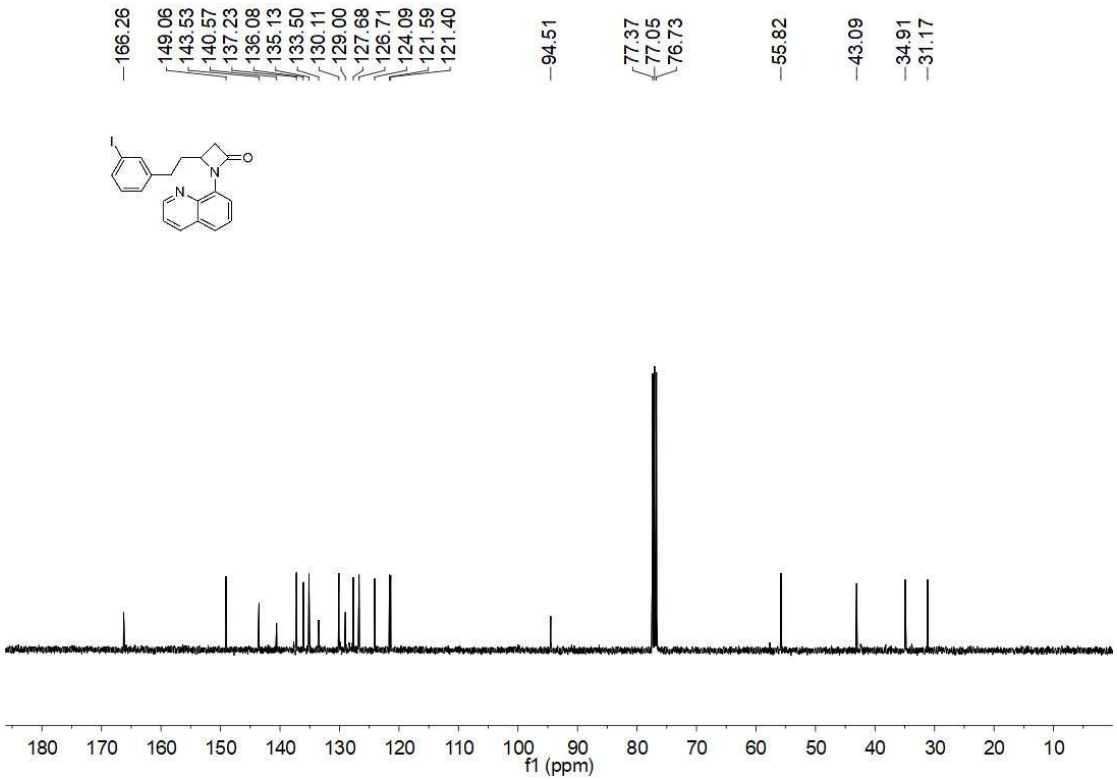
8.80
8.79
8.79
8.26
8.26
8.24
8.24
8.14
8.14
8.12
8.12
7.59
7.59
7.57
7.57
7.52
7.52
7.50
7.50
7.48
7.47
7.43
7.42
7.41
7.40
7.26
7.09
7.07
7.00
6.98
6.96
5.18
3.35
3.34
3.31
3.30
2.83
2.83
2.79
2.79
2.66
2.65
2.64
2.63
2.62
2.61
2.59
1.59
0.00
I
O
N
N
0.97
0.96
1.02
1.00
2.91
0.97
0.99
0.98
0.98
1.00
1.01
2.02
1.04
1.00
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
f1 (ppm)

^{13}C NMR of 5q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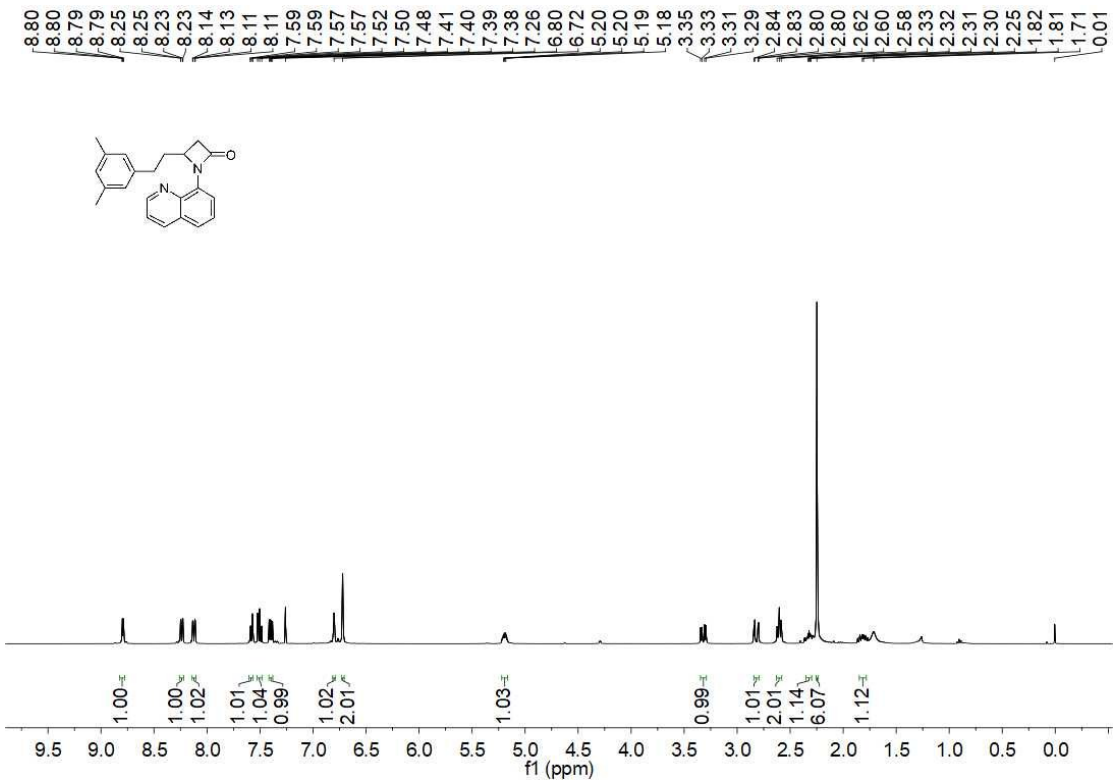
149.06
143.53
140.57
137.23
136.08
135.13
133.50
130.11
129.00
127.68
126.71
124.09
121.59
121.40
94.51
77.37
77.05
76.73
55.82
43.09
34.91
31.17
I
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)

^{1}H NMR of 5r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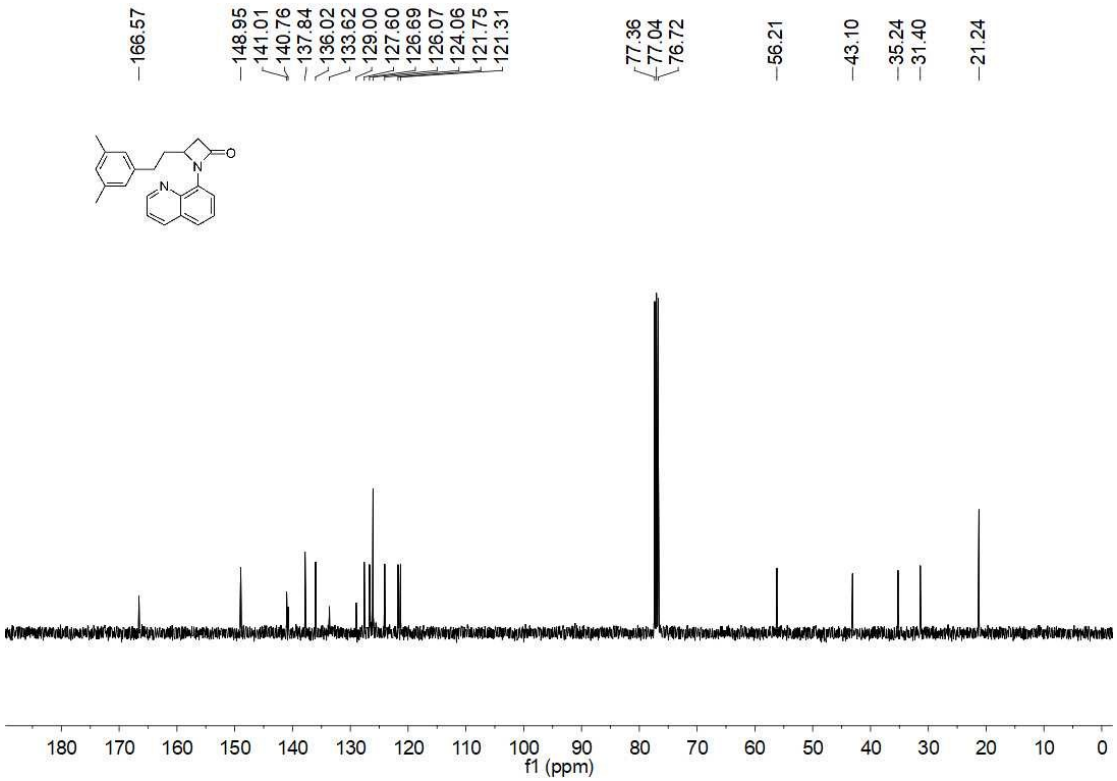
8.80
8.79
8.79
8.25
8.25
8.23
8.23
8.14
8.13
8.11
8.11
7.59
7.59
7.57
7.57
7.52
7.50
7.48
7.41
7.40
7.39
7.38
7.26
6.80
6.72
5.20
5.20
5.19
5.18
3.35
3.33
3.31
3.29
2.84
2.83
2.80
2.80
2.62
2.60
2.58
2.33
2.32
2.31
2.30
2.25
1.82
1.81
1.71
0.01
O
N
N
1.00
1.00
1.02
1.01
1.04
0.99
1.02
2.01
1.03
0.99
1.01
2.01
1.14
6.07
1.12
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
f1 (ppm)

^{13}C NMR of 5r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148.95
141.01
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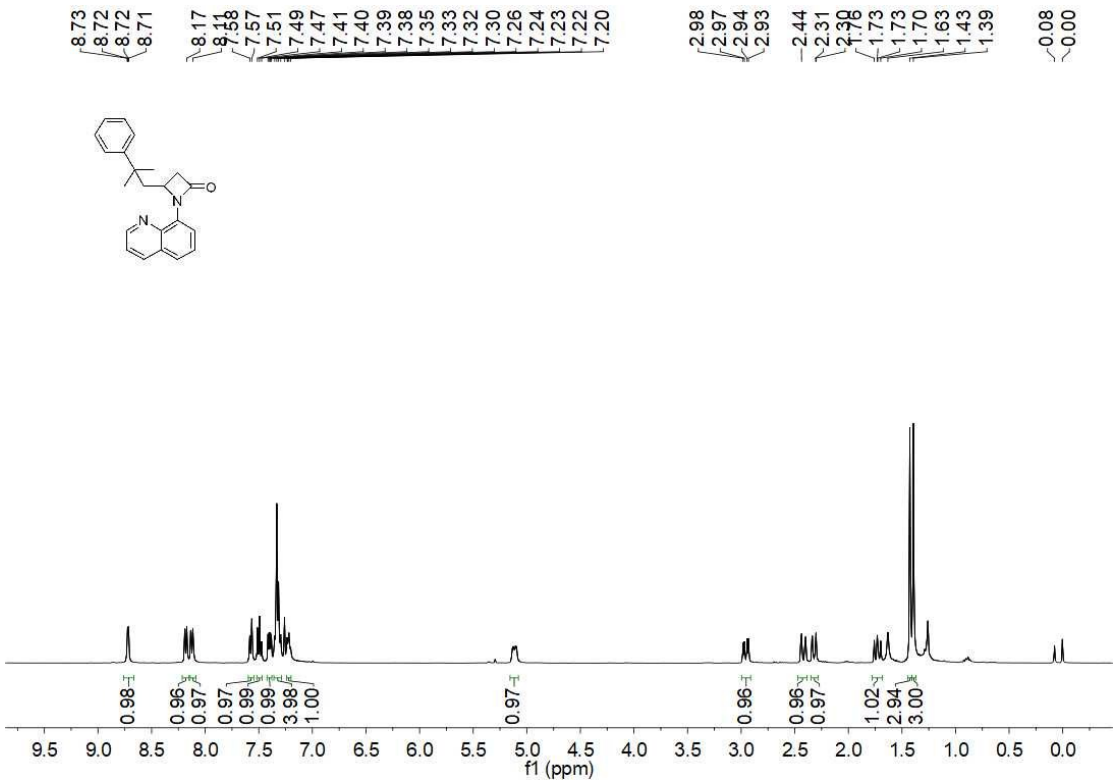
137.84
136.02
133.62
129.00
127.60
126.69
126.07
124.06
121.75
121.31
77.36
77.04
76.72
56.21
43.10
35.24
31.40
21.24
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5s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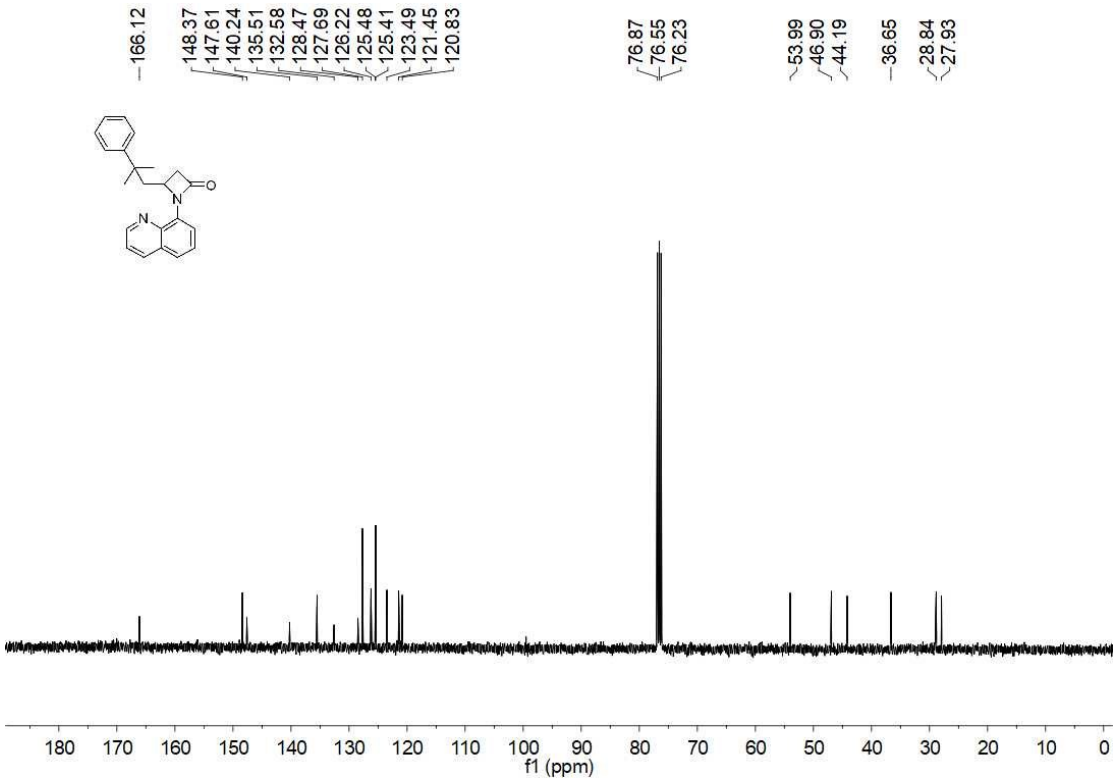
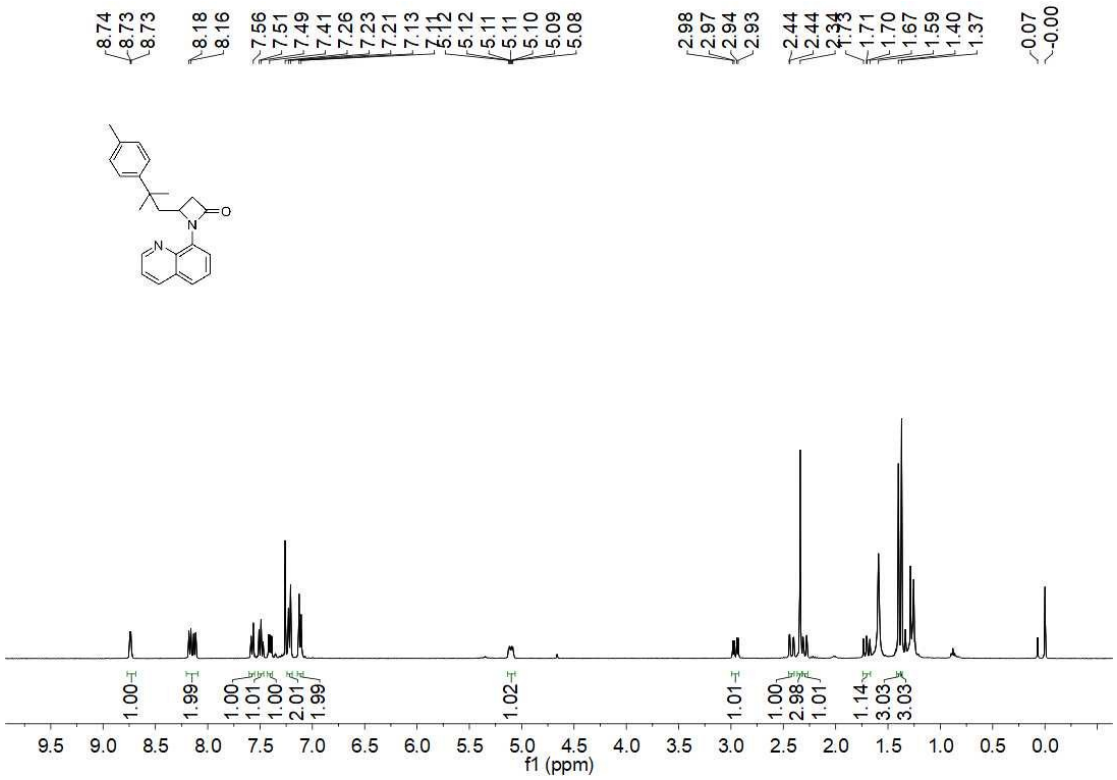
8.72
8.72
8.71
8.17
8.11
7.58
7.57
7.51
7.49
7.47
7.41
7.40
7.39
7.38
7.35
7.33
7.32
7.30
7.26
7.24
7.23
7.22
7.20
2.98
2.97
2.94
2.93
2.44
2.31
2.30
1.76
1.73
1.73
1.70
1.63
1.43
1.39
0.08
0.00
O
N
N
0.98
0.96
0.97
0.97
0.99
0.99
3.98
1.00
0.97
0.96
0.96
0.97
1.02
2.94
3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 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
f1 (ppm)

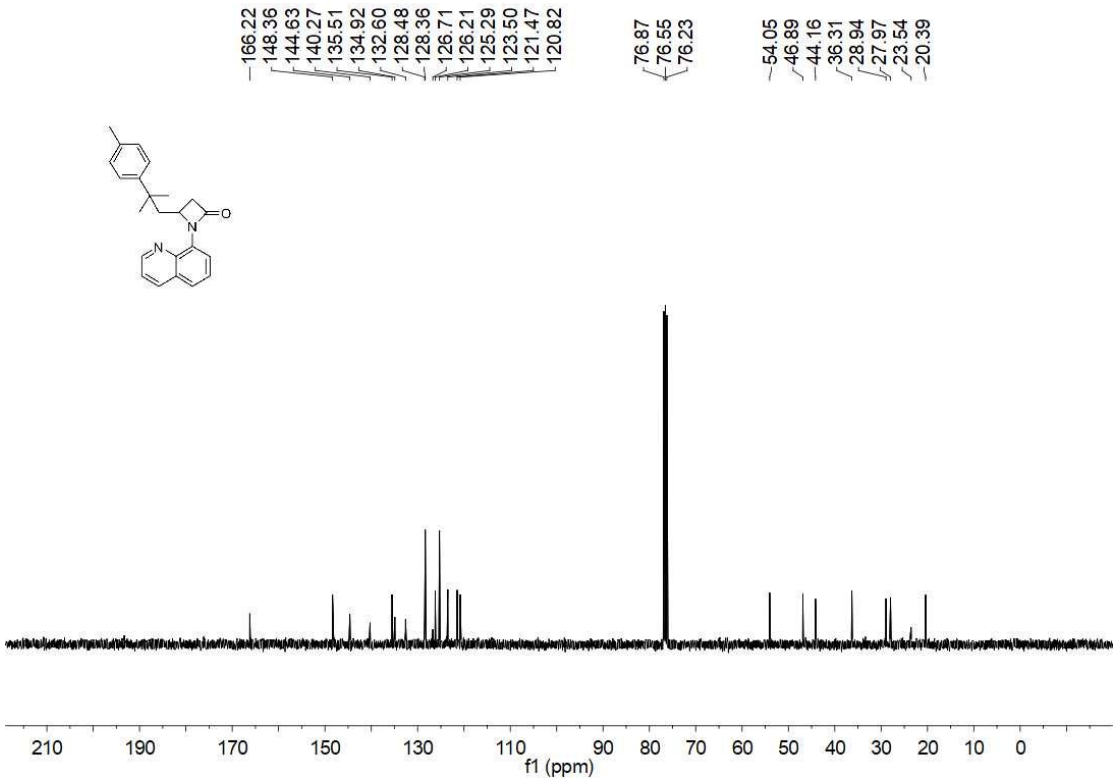
^{13}C NMR of 5s


166.12
148.37
147.61
140.24
135.51
132.58
128.47
127.69
126.22
125.48
125.41
123.49
121.45
120.83
76.87
76.55
76.23
53.99
46.90
44.19
36.65
28.84
27.93
O
N
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5t


8.74
8.73
8.73
8.18
8.16
7.56
7.51
7.49
7.41
7.26
7.23
7.21
7.13
5.12
5.11
5.11
5.10
5.09
5.08
2.98
2.97
2.94
2.93
2.44
2.44
1.71
1.70
1.67
1.59
1.40
1.37
0.07
-0.00
O
N
N
1.00
1.99
1.00
1.01
1.00
2.01
1.99
1.02
1.01
1.00
2.98
1.01
1.14
3.03
3.03
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
f1 (ppm)

^{13}C NMR of 5t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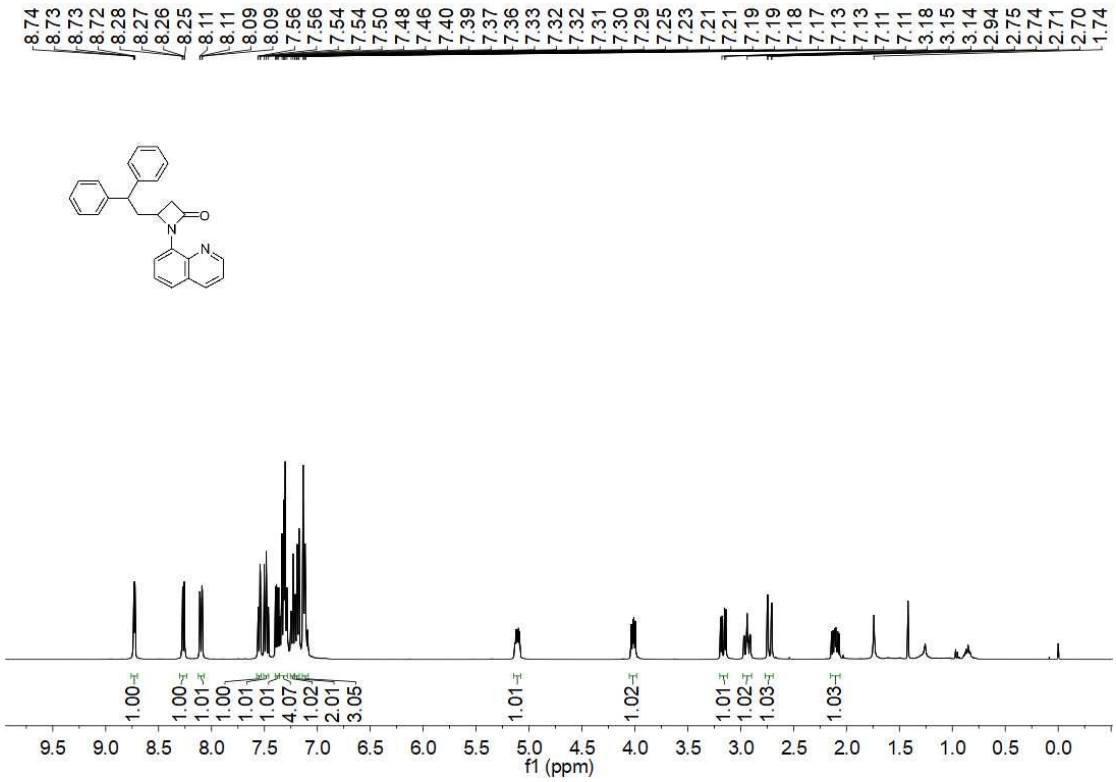
148.36
144.63
140.27
135.51
134.92
132.60
128.48
128.36
126.71
126.21
125.29
123.50
121.47
120.82
76.87
76.55
76.23
54.05
46.89
44.16
36.31
28.94
27.97
23.54
20.39
O
N
N
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 5u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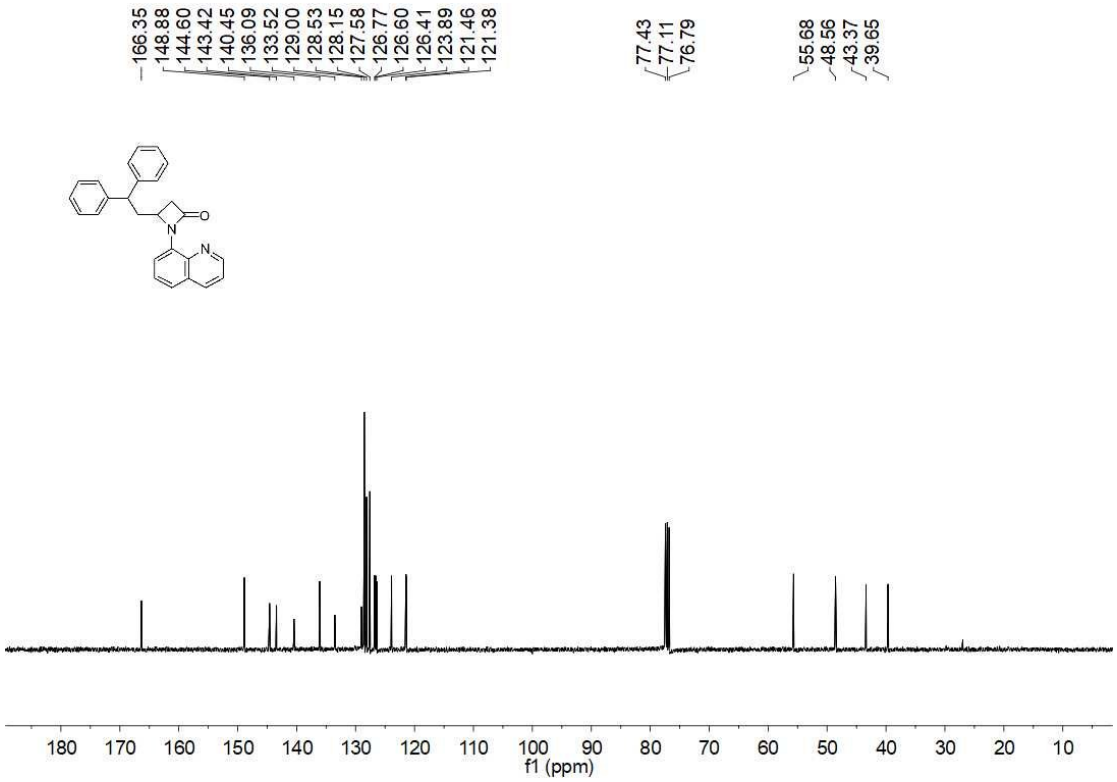
8.73
8.73
8.72
8.28
8.27
8.26
8.25
8.11
8.11
8.09
8.09
7.56
7.56
7.54
7.54
7.50
7.48
7.46
7.40
7.39
7.37
7.36
7.33
7.32
7.32
7.31
7.30
7.29
7.25
7.23
7.21
7.21
7.19
7.19
7.18
7.17
7.13
7.13
7.11
7.11
3.18
3.15
3.14
2.94
2.75
2.74
2.71
2.70
1.74
O
N
N
1.00
1.00
1.01
1.00
1.01
1.01
4.07
1.02
2.01
3.05
1.01
1.02
1.01
1.02
1.03
1.03
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
f1 (ppm)

^{13}C NMR of 5u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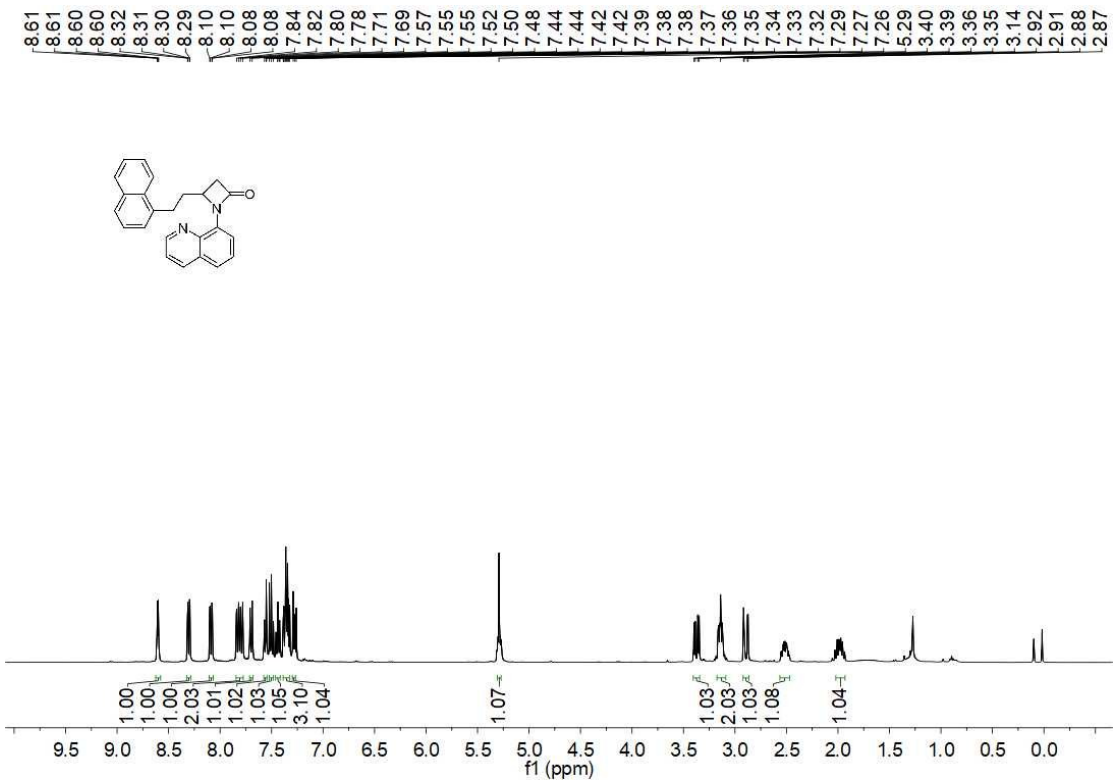
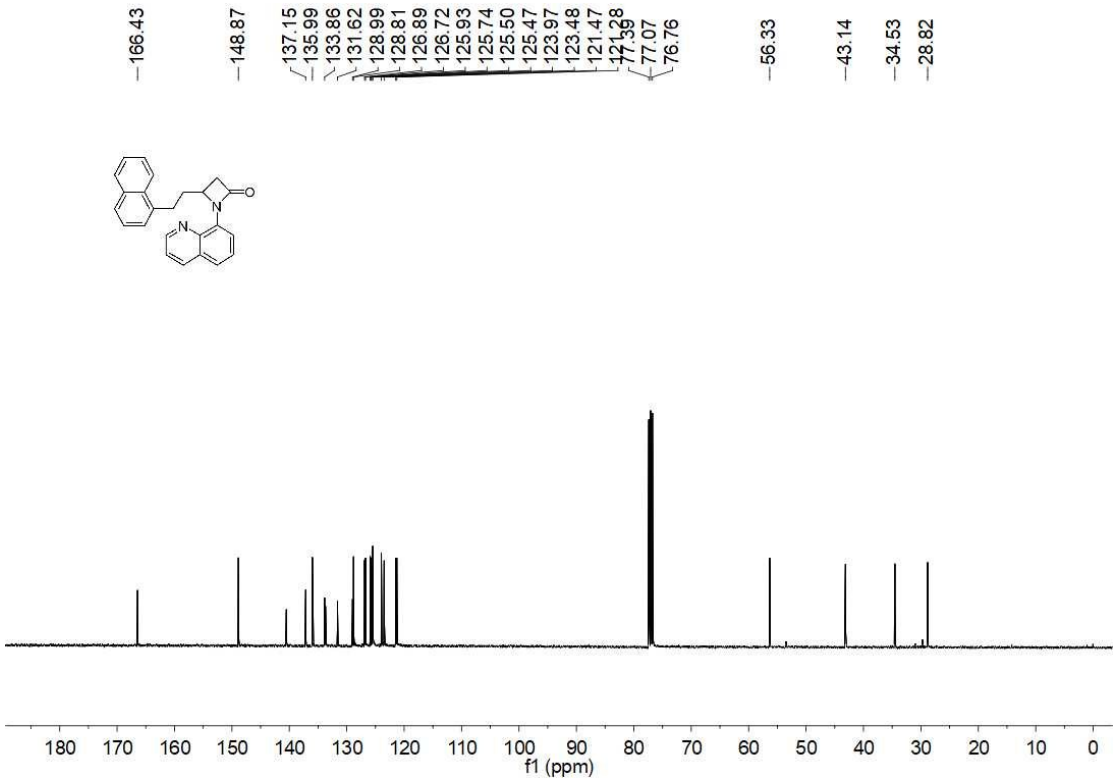
144.60
143.42
140.45
136.09
133.52
129.00
128.53
128.15
127.58
126.77
126.60
126.41
123.89
121.46
121.38
77.43
77.11
76.79
55.68
48.56
43.37
39.65
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
f1 (ppm)

^{1}H NMR of 5v


8.61
8.61
8.60
8.60
8.32
8.31
8.30
8.29
8.10
8.10
8.08
8.08
7.84
7.82
7.80
7.78
7.71
7.69
7.57
7.55
7.55
7.52
7.50
7.48
7.44
7.44
7.42
7.42
7.39
7.38
7.38
7.37
7.36
7.35
7.34
7.33
7.32
7.29
7.27
7.26
5.29
3.40
3.39
3.36
3.35
3.14
2.92
2.91
2.88
2.87
O
N
N
1.00
1.00
1.00
2.03
1.01
1.02
1.03
1.05
3.10
1.04
1.07
1.03
2.03
1.03
1.08
1.04
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
f1 (ppm)

^{13}C NMR of 5v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148.87
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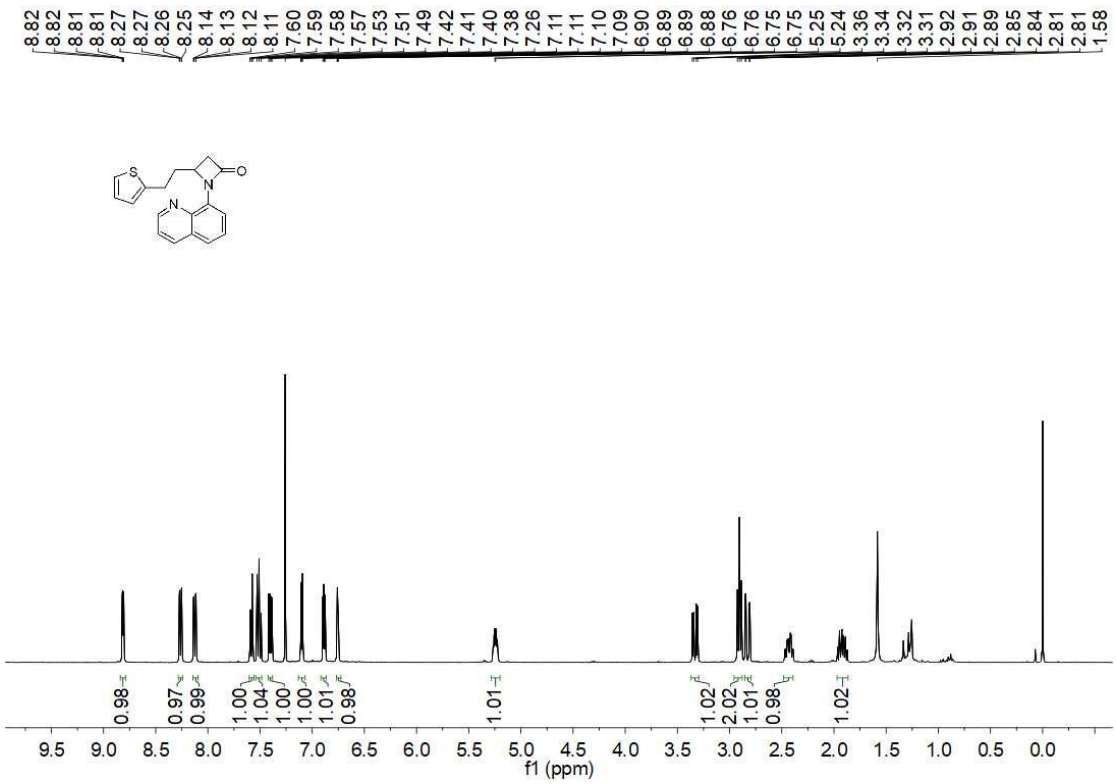
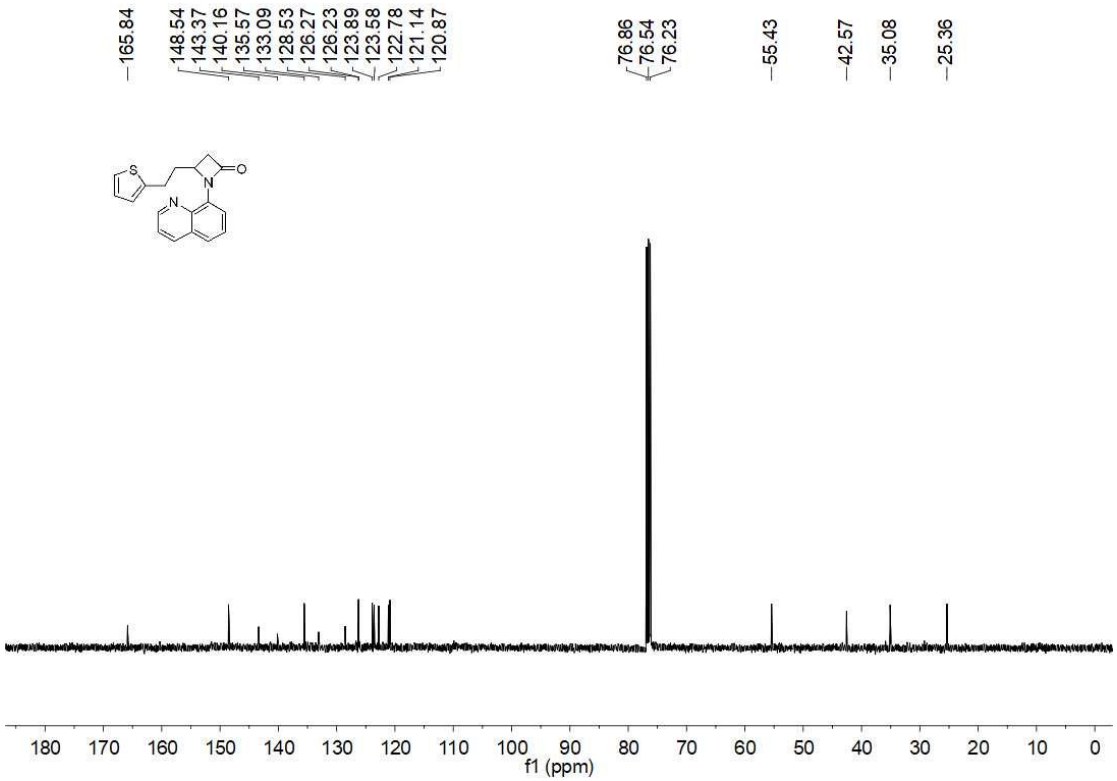
135.99
133.86
131.62
128.99
128.81
126.89
126.72
125.93
125.74
125.50
125.47
123.97
123.48
121.47
121.28
77.39
77.07
76.76
56.33
43.14
34.53
28.82
O
N
N
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 5w


8.82
8.82
8.81
8.81
8.27
8.27
8.26
8.25
8.14
8.13
8.12
8.11
7.60
7.59
7.58
7.57
7.53
7.51
7.49
7.42
7.41
7.40
7.38
7.26
7.11
7.11
7.10
7.09
6.90
6.89
6.89
6.88
6.76
6.76
6.75
6.75
5.25
5.24
3.36
3.34
3.32
3.31
2.92
2.91
2.89
2.85
2.84
2.81
2.81
1.58
S
N
O
N
0.98
0.97
0.99
1.00
1.04
1.00
1.00
1.01
0.98
1.01
1.02
2.02
1.01
0.98
1.02
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
f1 (ppm)

^{13}C NMR of 5w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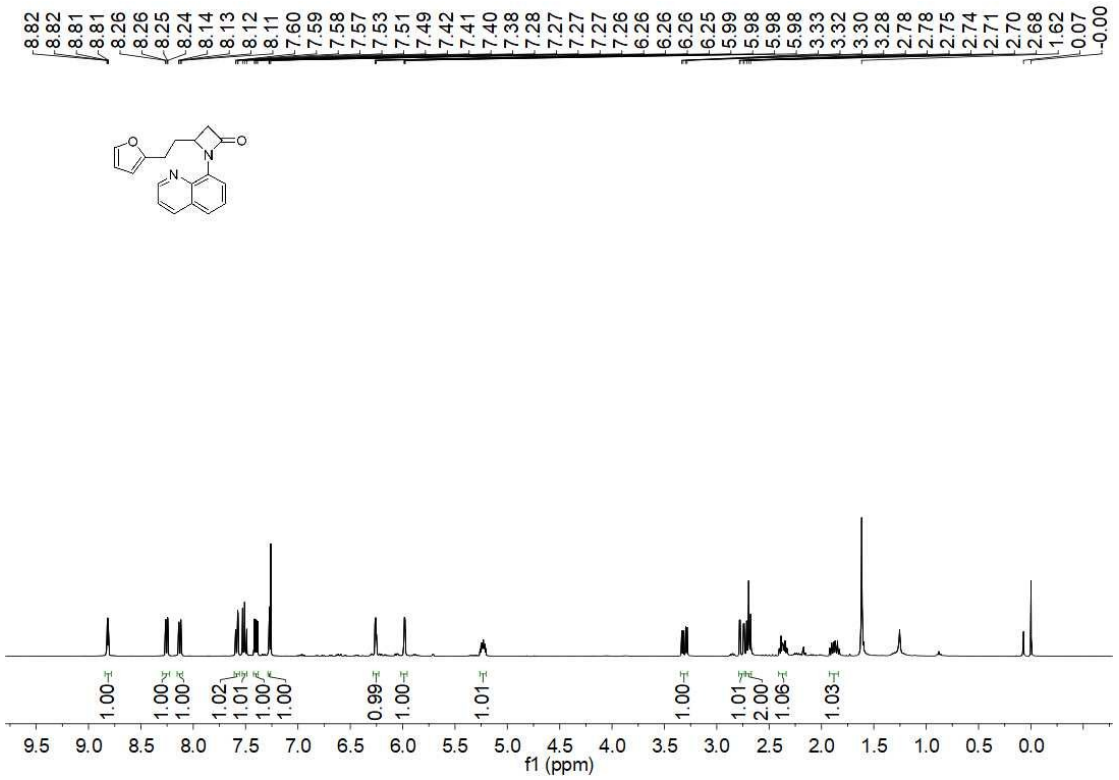
148.54
143.37
140.16
135.57
133.09
128.53
126.27
126.23
123.89
123.58
122.78
121.14
120.87
76.86
76.54
76.23
55.43
42.57
35.08
25.36
S
N
O
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5x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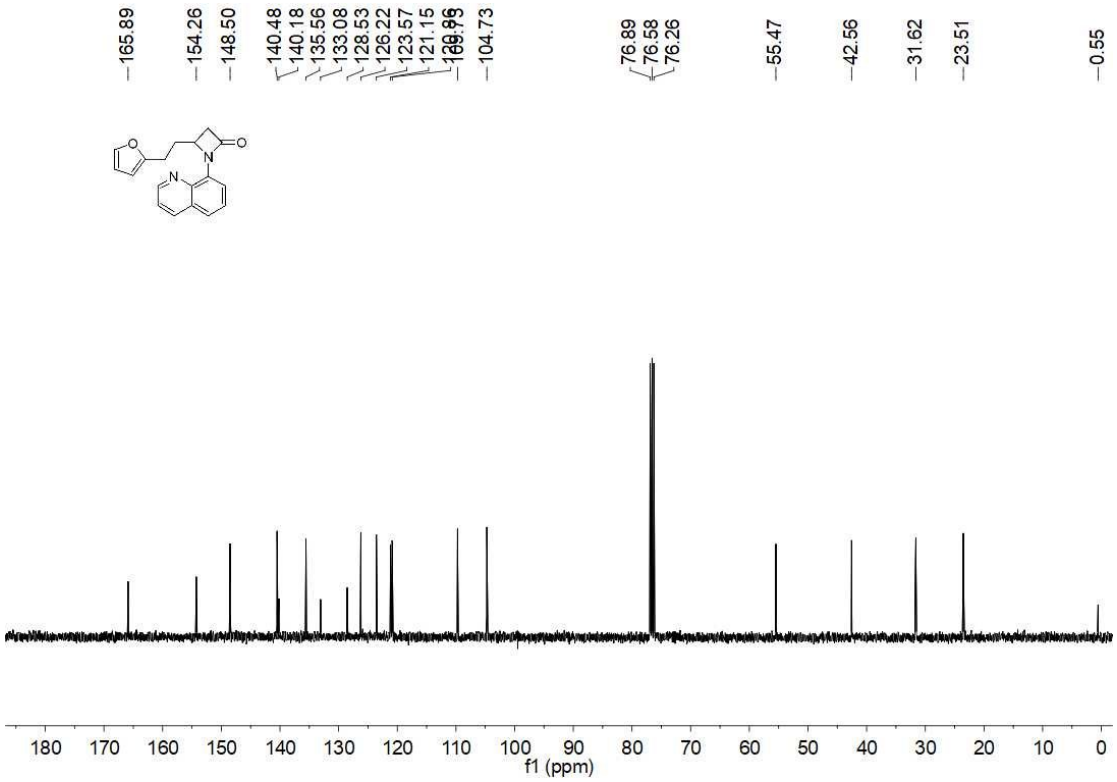
8.82
8.81
8.81
8.26
8.26
8.25
8.24
8.14
8.13
8.12
8.11
7.60
7.59
7.58
7.57
7.53
7.51
7.49
7.42
7.41
7.40
7.38
7.28
7.27
7.27
7.27
7.26
6.26
6.26
6.25
5.99
5.98
5.98
5.98
3.33
3.32
3.30
3.28
2.78
2.78
2.75
2.74
2.71
2.70
2.68
1.62
0.07
-0.00
O
N
O
N
1.00
1.00
1.00
1.02
1.01
1.00
1.00
0.99
1.00
1.01
1.00
1.01
2.00
1.06
1.03
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
f1 (ppm)

^{13}C NMR of 5x


165.89
154.26
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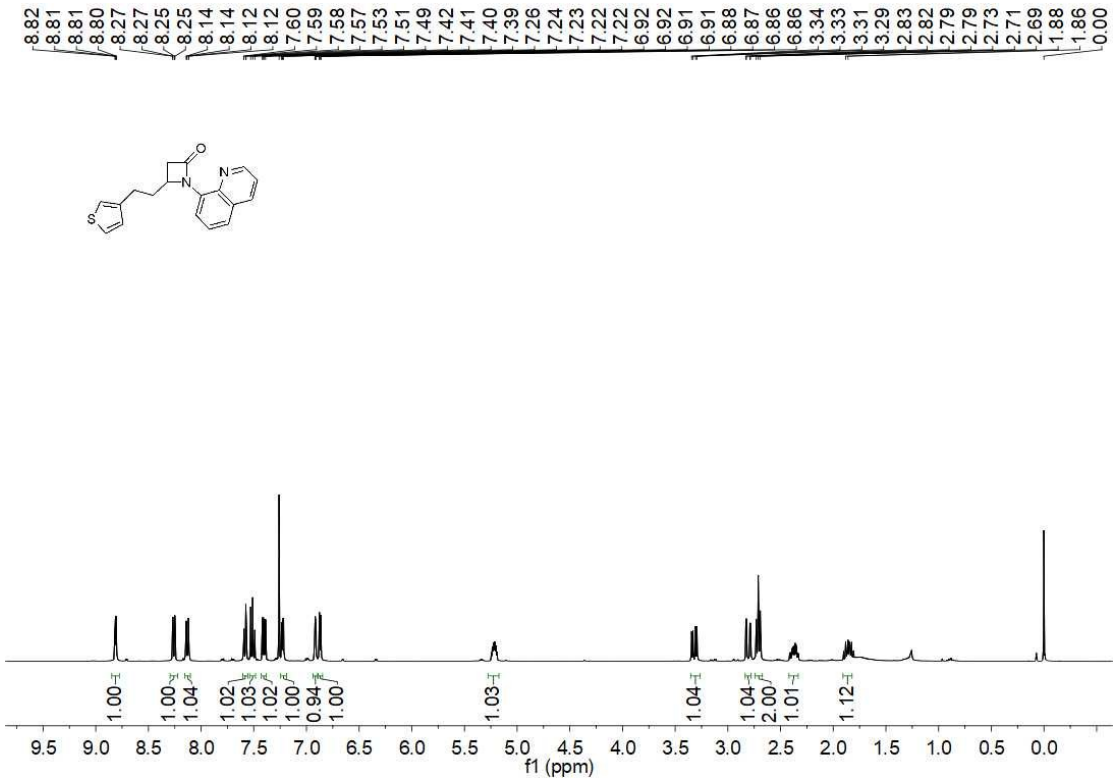
140.48
140.18
135.56
133.08
128.53
126.22
123.57
121.15
104.73
76.89
76.58
76.26
55.47
42.56
31.62
23.51
0.55
O
N
O
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 5y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8.81
8.81
8.80
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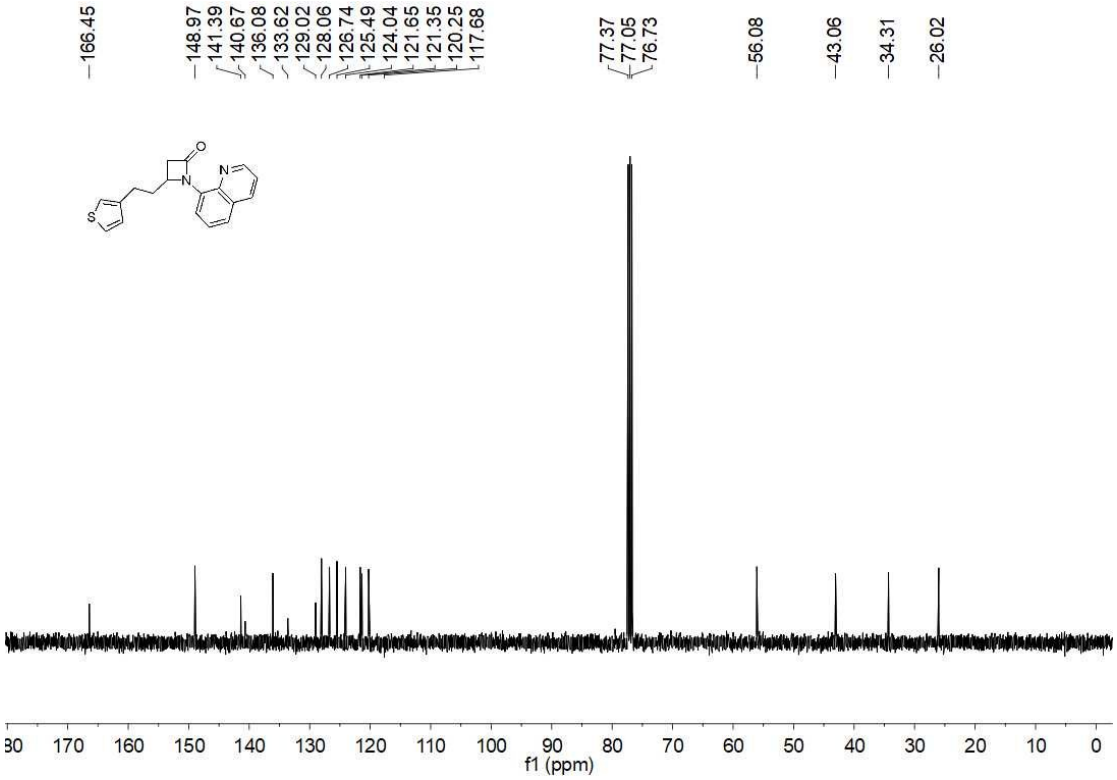
8.27
8.25
8.25
8.14
8.14
8.12
8.12
7.60
7.59
7.58
7.57
7.53
7.51
7.49
7.42
7.41
7.40
7.39
7.26
7.24
7.23
7.22
7.22
6.92
6.92
6.91
6.91
6.88
6.87
6.86
6.86
3.34
3.33
3.31
3.29
2.83
2.82
2.79
2.79
2.73
2.71
2.69
1.88
1.86
0.00
O
N
N
S
1.00
1.00
1.04
1.02
1.03
1.02
1.00
0.94
1.00
1.03
1.04
1.04
2.00
1.01
1.12
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
f1 (ppm)

^{13}C NMR of 5y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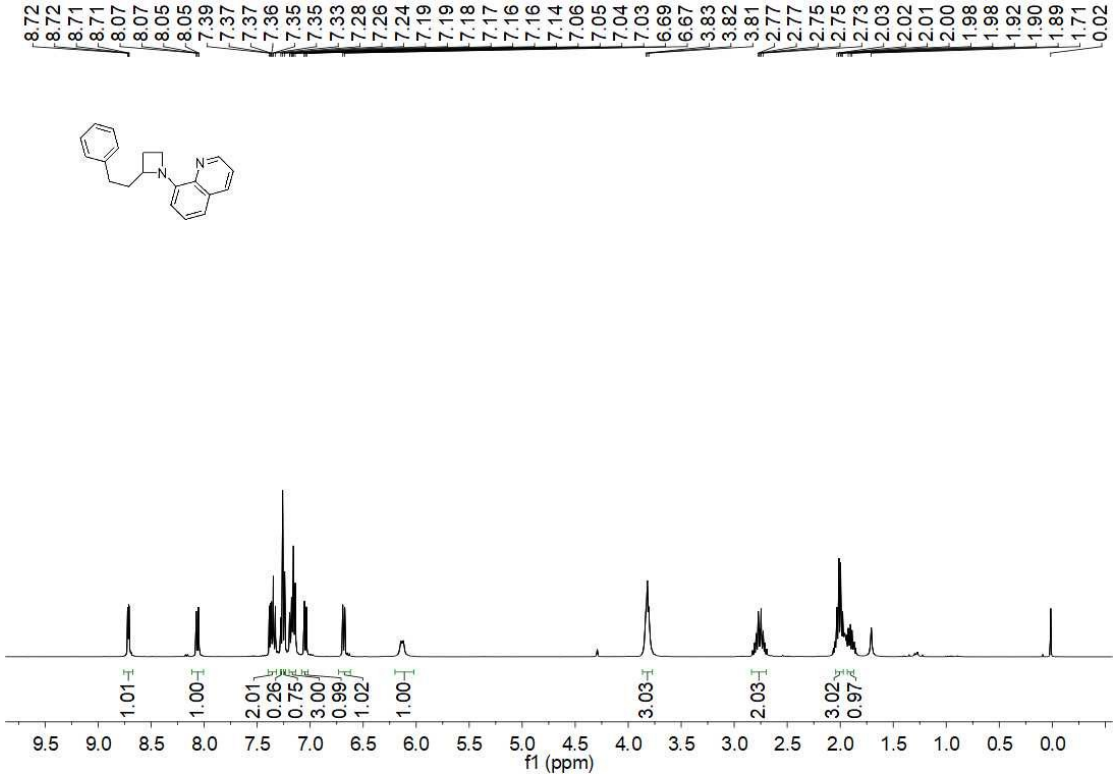
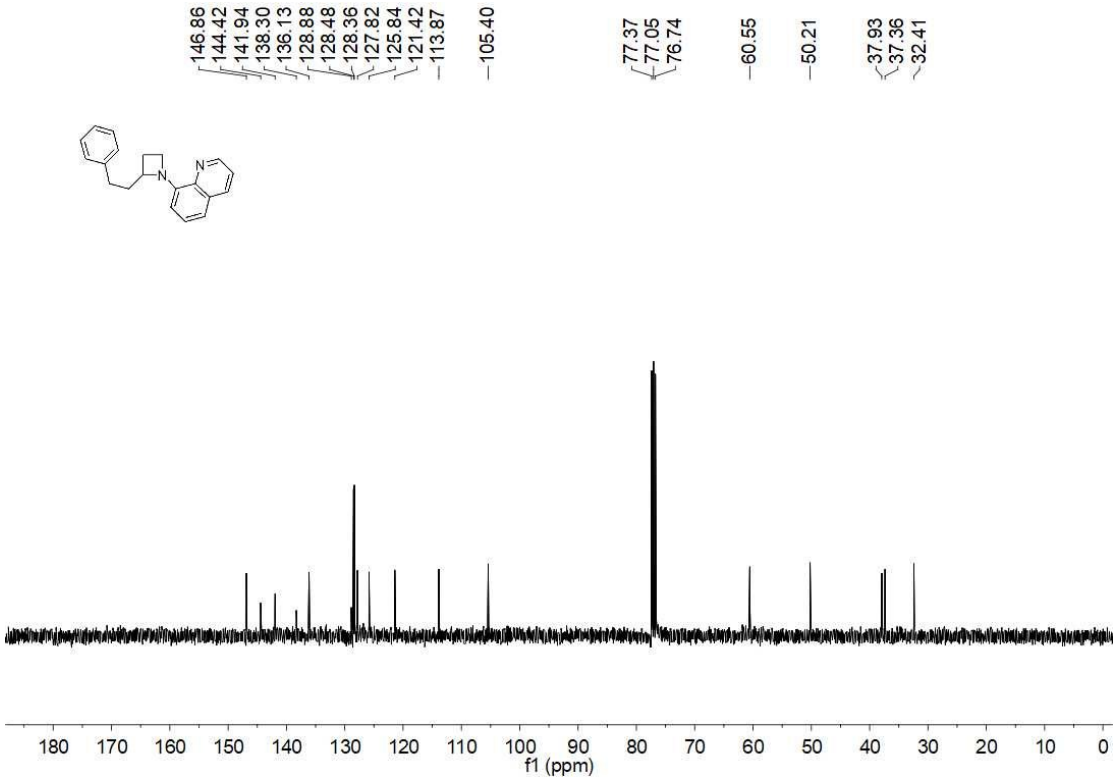
140.67
136.08
133.62
129.02
128.06
126.74
125.49
124.04
121.65
121.35
120.25
117.68
77.37
77.05
76.73
56.08
43.06
34.31
26.02
f1 (ppm)

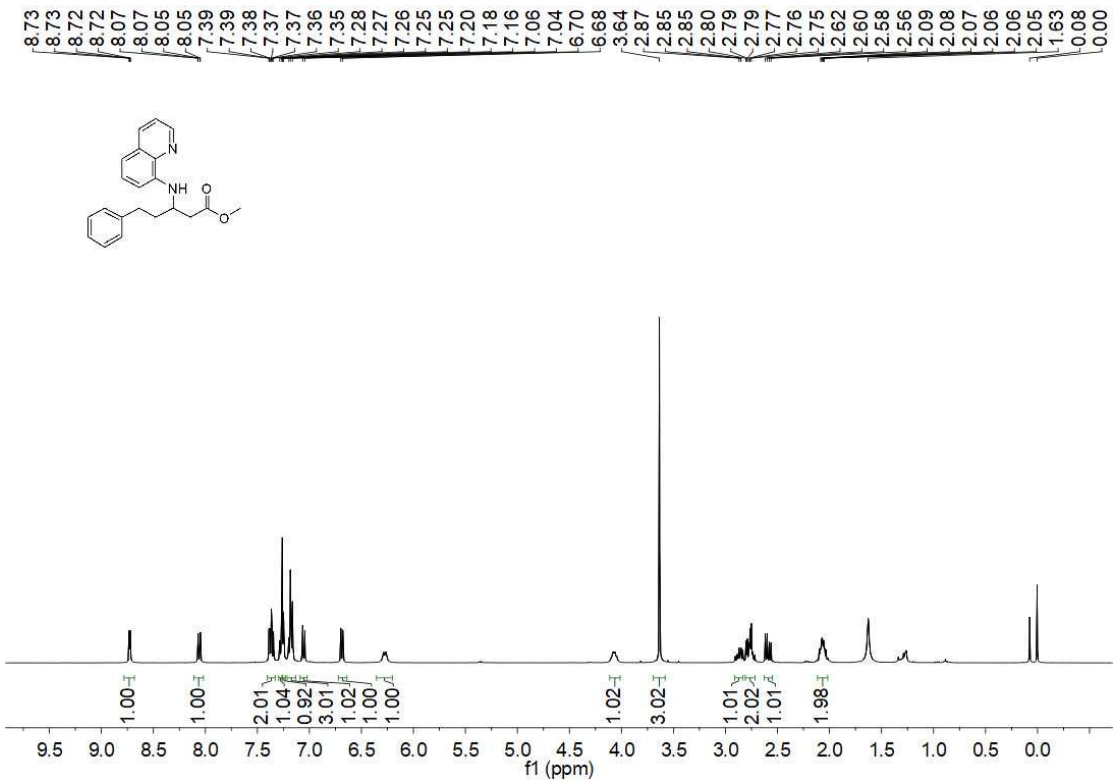
^{1}H NMR of 6a


8.72
8.72
8.71
8.71
8.07
8.07
8.05
8.05
7.39
7.37
7.37
7.36
7.35
7.35
7.33
7.28
7.26
7.24
7.19
7.19
7.18
7.17
7.16
7.16
7.14
7.06
7.05
7.04
7.03
6.69
6.67
3.83
3.82
3.81
2.77
2.77
2.75
2.75
2.73
2.03
2.02
2.01
2.00
1.98
1.98
1.92
1.90
1.89
1.71
0.02
1.01
1.00
2.01
0.26
0.75
3.00
0.99
1.02
1.00
3.03
2.03
3.02
0.97
f1 (ppm)

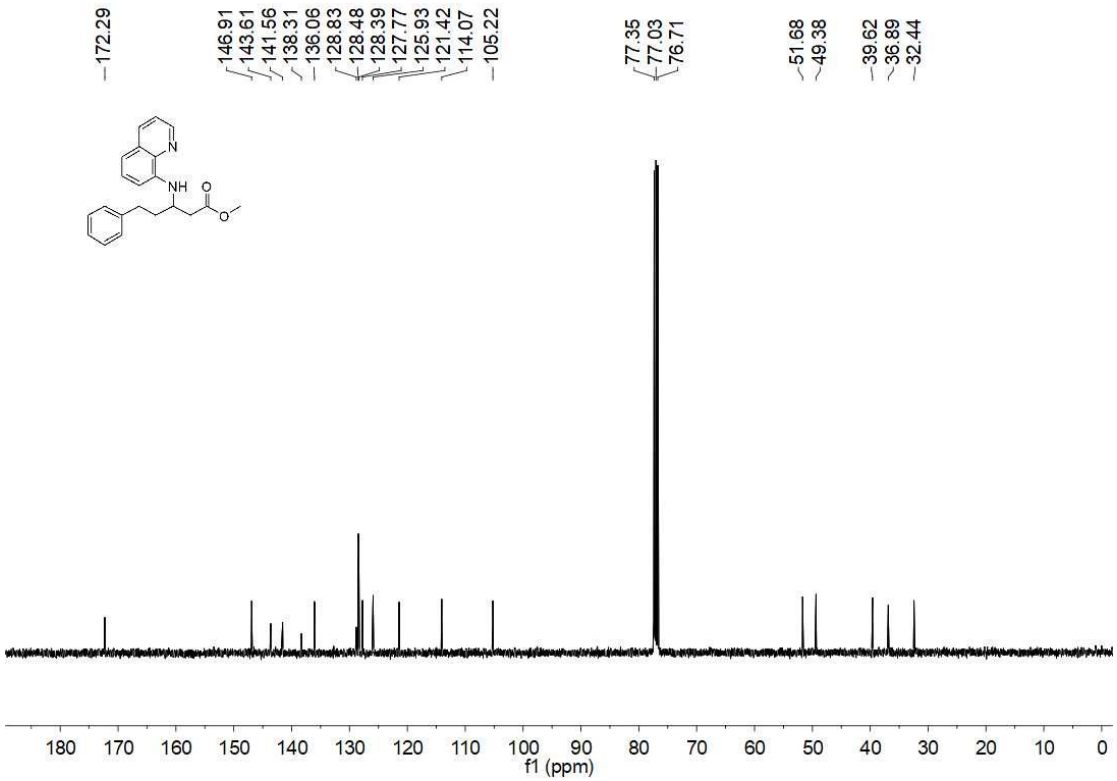
^{13}C NMR of 6a



^{1}H NMR of 6b


8.73
8.73
8.72
8.72
8.07
8.07
8.05
8.05
7.39
7.39
7.38
7.37
7.37
7.36
7.35
7.28
7.27
7.26
7.25
7.25
7.20
7.18
7.16
7.06
7.04
6.70
6.68
3.64
2.87
2.85
2.85
2.80
2.79
2.79
2.77
2.76
2.75
2.62
2.60
2.58
2.56
2.09
2.08
2.07
2.06
2.06
2.05
1.63
0.08
0.00
N
NH
O
O
1.00
1.00
2.01
1.04
0.92
3.01
1.02
1.00
1.00
1.02
3.02
1.01
2.02
1.01
1.98
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
f1 (ppm)

^{13}C NMR of 6b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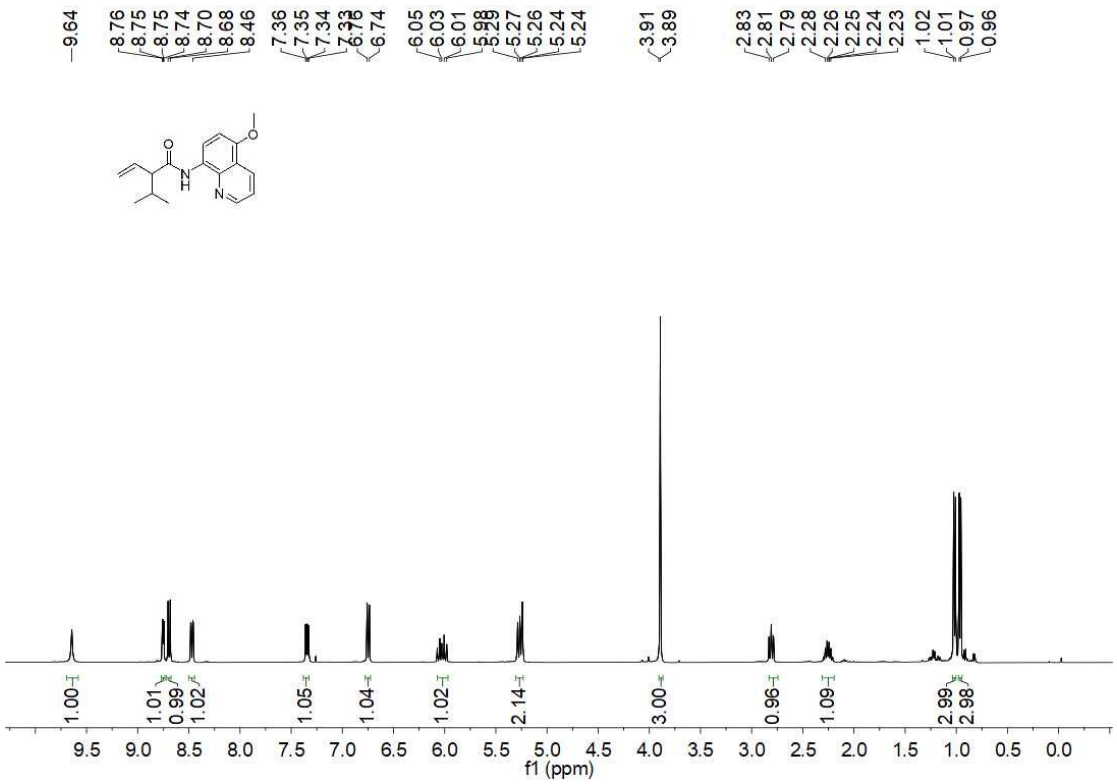
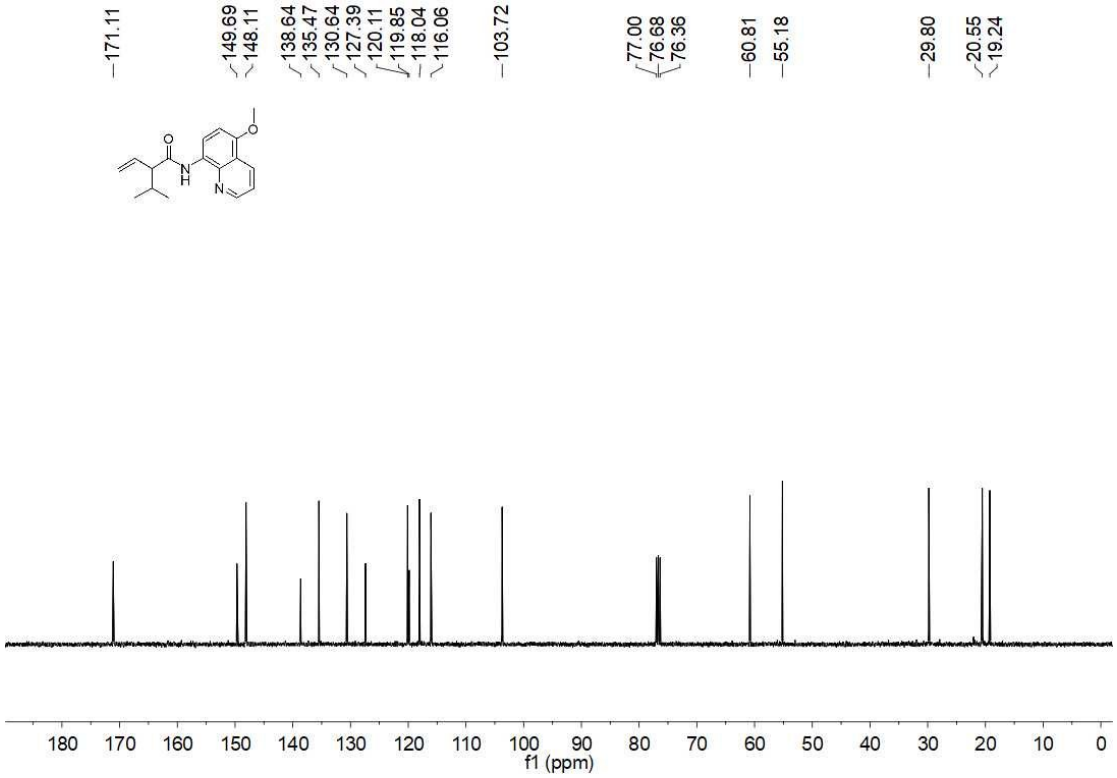
146.91
143.61
141.56
138.31
136.06
128.83
128.48
128.39
127.77
125.93
121.42
114.07
105.22
77.35
77.03
76.71
51.68
49.38
39.62
36.89
32.44
NH
O
O
N
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

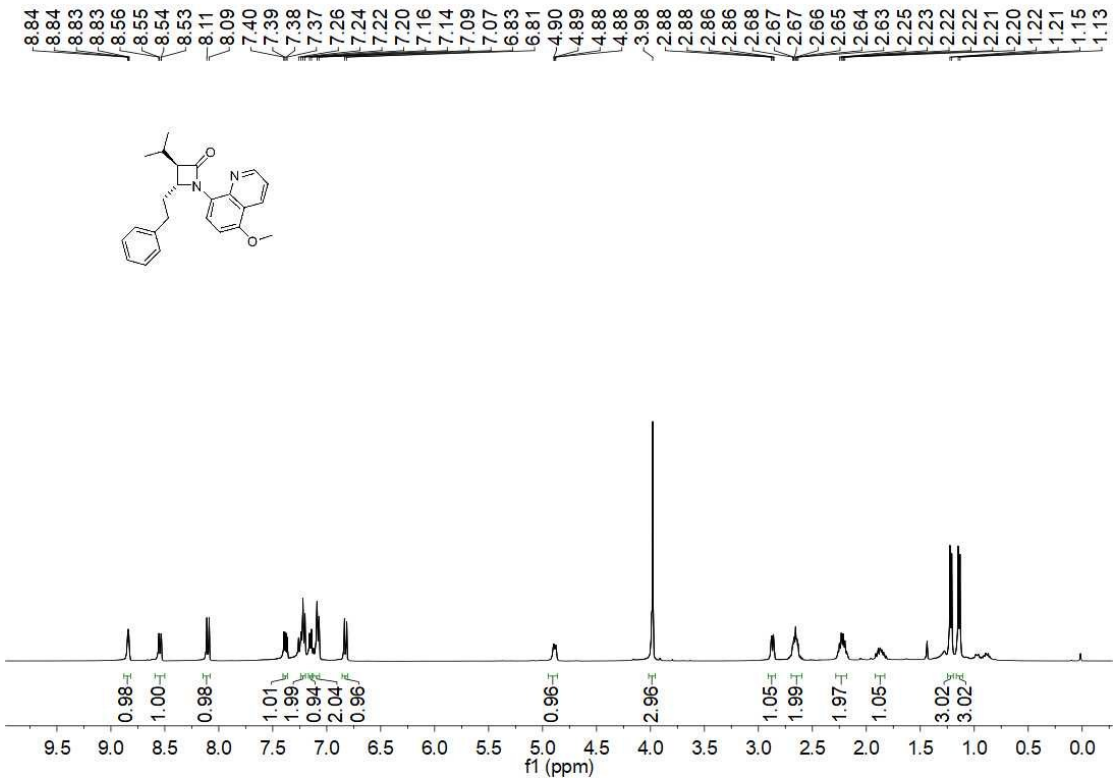
^{1}H NMR of 7b


9.64
8.76
8.75
8.75
8.74
8.70
8.68
8.46
7.36
7.35
7.34
6.74
6.05
6.03
6.01
5.27
5.26
5.24
5.24
3.91
3.89
2.83
2.81
2.79
2.28
2.26
2.25
2.24
2.23
1.02
1.01
0.97
0.96
1.00
1.01
0.99
1.02
1.05
1.04
1.02
2.14
3.00
0.96
1.09
2.99
2.98
f1 (ppm)

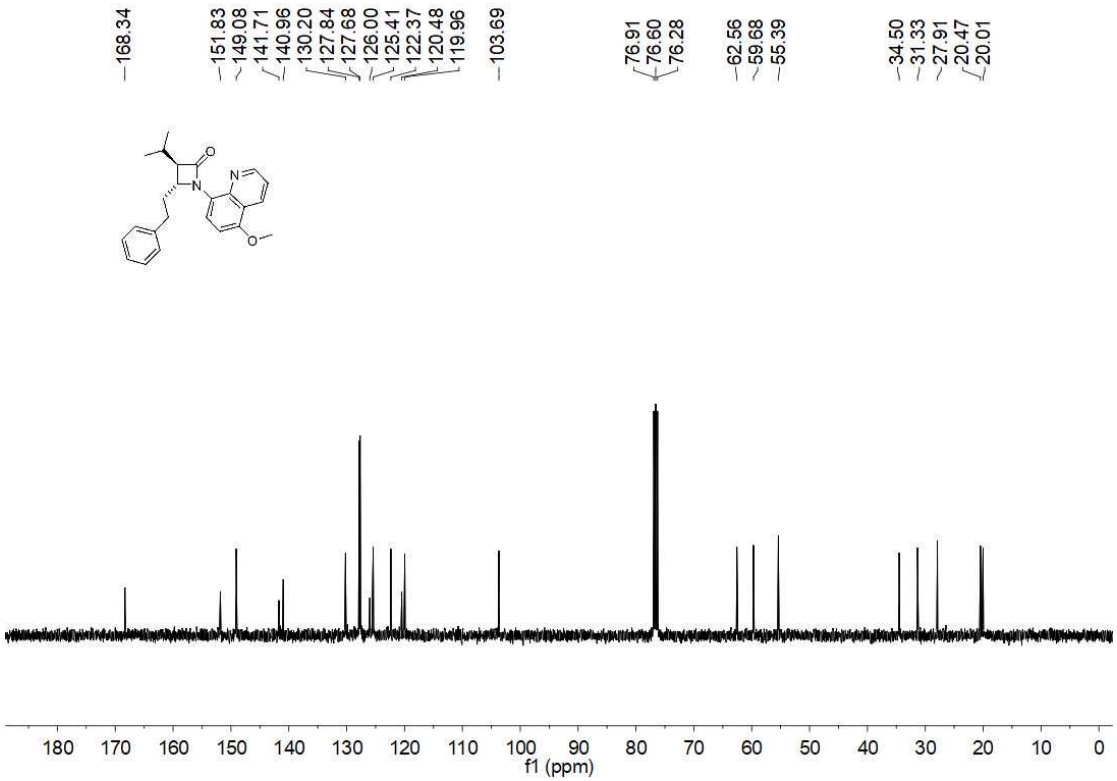
^{13}C NMR of 7b


171.11
149.69
148.11
138.64
135.47
130.64
127.39
120.11
119.85
118.04
116.06
103.72
77.00
76.68
76.36
60.81
55.18
29.80
20.55
19.24
f1 (ppm)

[1]H NMR of 7c



[13]C NMR of 7c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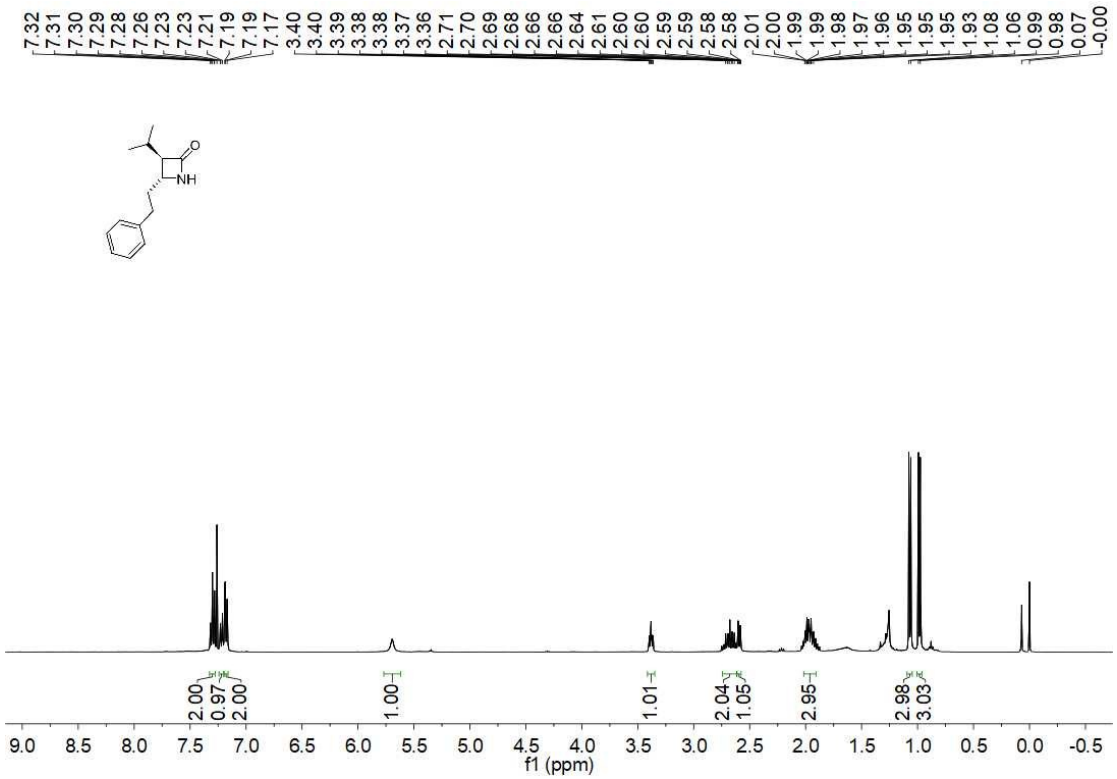
151.83
149.08
141.71
140.96
130.20
127.84
127.68
126.00
125.41
122.37
120.48
119.96
103.69
76.91
76.60
76.28
62.56
59.68
55.39
34.50
31.33
27.91
20.47
20.01
O
N
N
O
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

^{1}H NMR of 7d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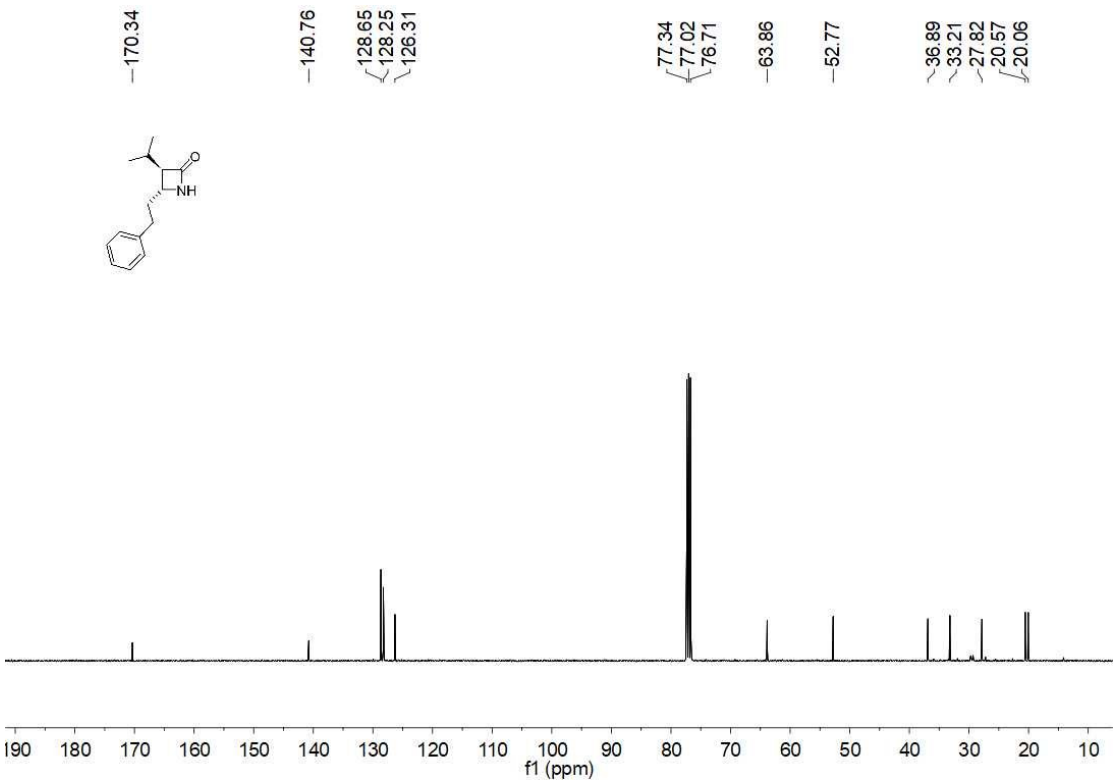
7.29
7.28
7.26
7.23
7.23
7.21
7.19
7.19
7.17
3.40
3.40
3.39
3.38
3.38
3.37
3.36
2.71
2.70
2.69
2.68
2.66
2.66
2.64
2.61
2.60
2.60
2.59
2.59
2.58
2.58
2.01
2.00
1.99
1.99
1.98
1.97
1.96
1.95
1.95
1.95
1.93
1.08
1.06
0.99
0.98
0.07
-0.00
O
NH
2.00
0.97
2.00
1.00
1.01
2.04
1.05
2.95
2.98
3.03
9.0 8.5 8.0 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 -0.5
f1 (ppm)

^{13}C NMR of 7d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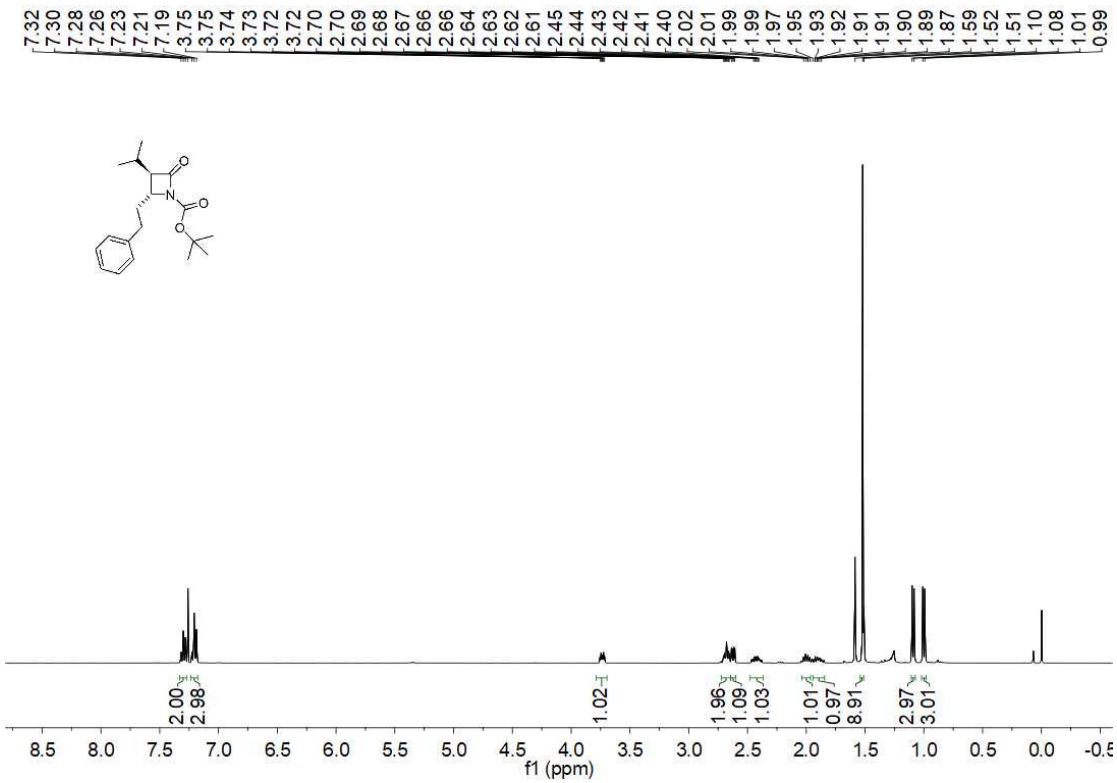
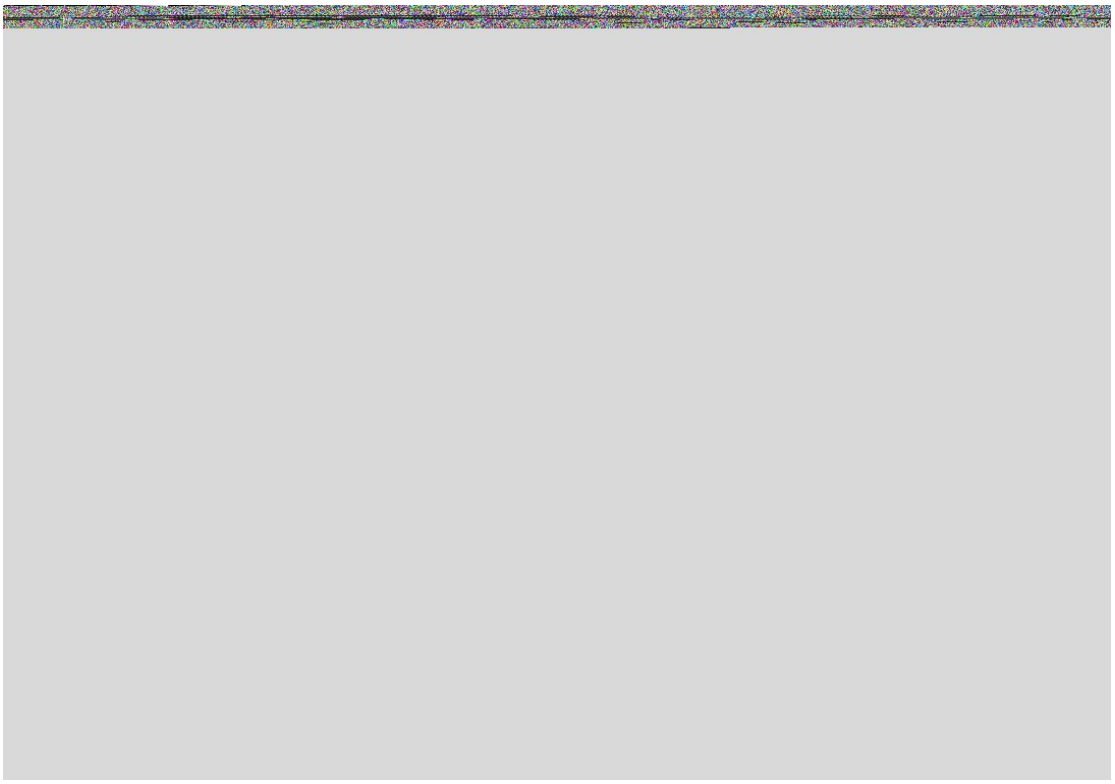
140.76
128.65
128.25
126.31
77.34
77.02
76.71
63.86
52.77
36.89
33.21
27.82
20.57
20.06
NH
O
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

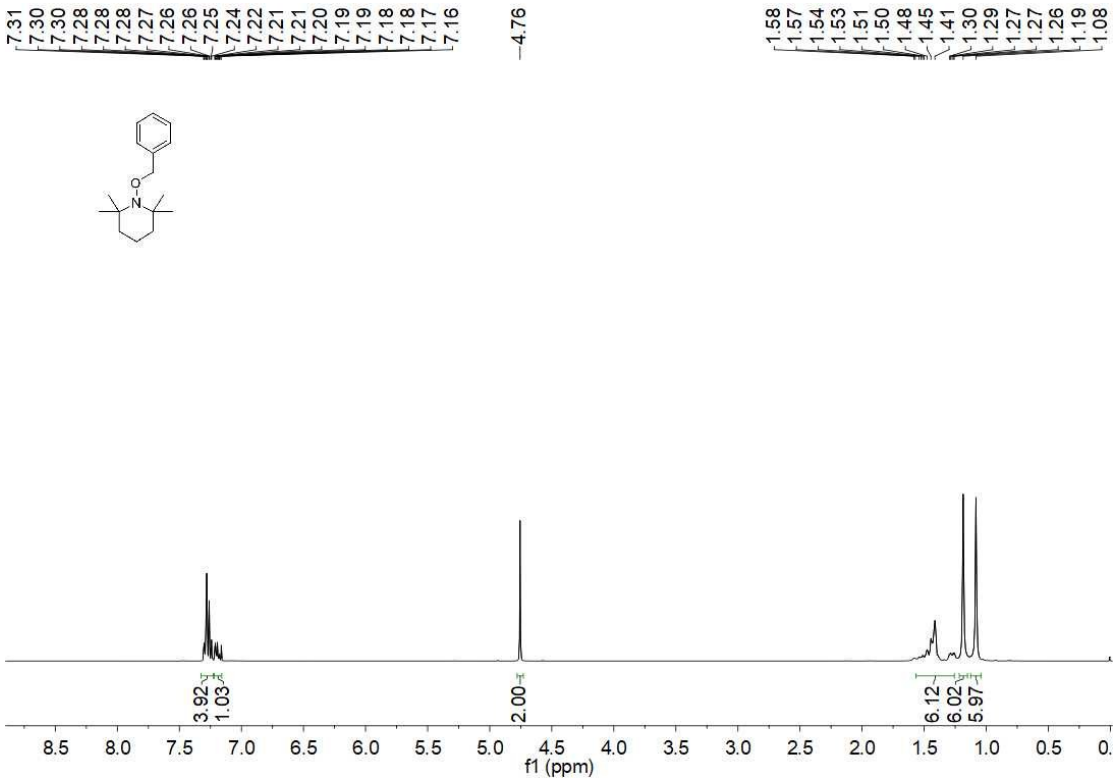
^{1}H NMR of 7e


7.32
7.30
7.28
7.26
7.23
7.21
7.19
3.75
3.75
3.74
3.73
3.72
3.72
2.70
2.70
2.69
2.68
2.67
2.66
2.66
2.64
2.63
2.62
2.61
2.45
2.44
2.43
2.42
2.41
2.40
2.02
2.01
1.99
1.99
1.97
1.95
1.93
1.92
1.91
1.91
1.90
1.89
1.87
1.59
1.52
1.51
1.10
1.08
1.01
0.99
O
N
O
O
2.00
2.98
1.02
1.96
1.09
1.03
1.01
0.97
8.91
2.97
3.01
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
f1 (ppm)

^{13}C NMR of 7e



^{1}H NMR of 8a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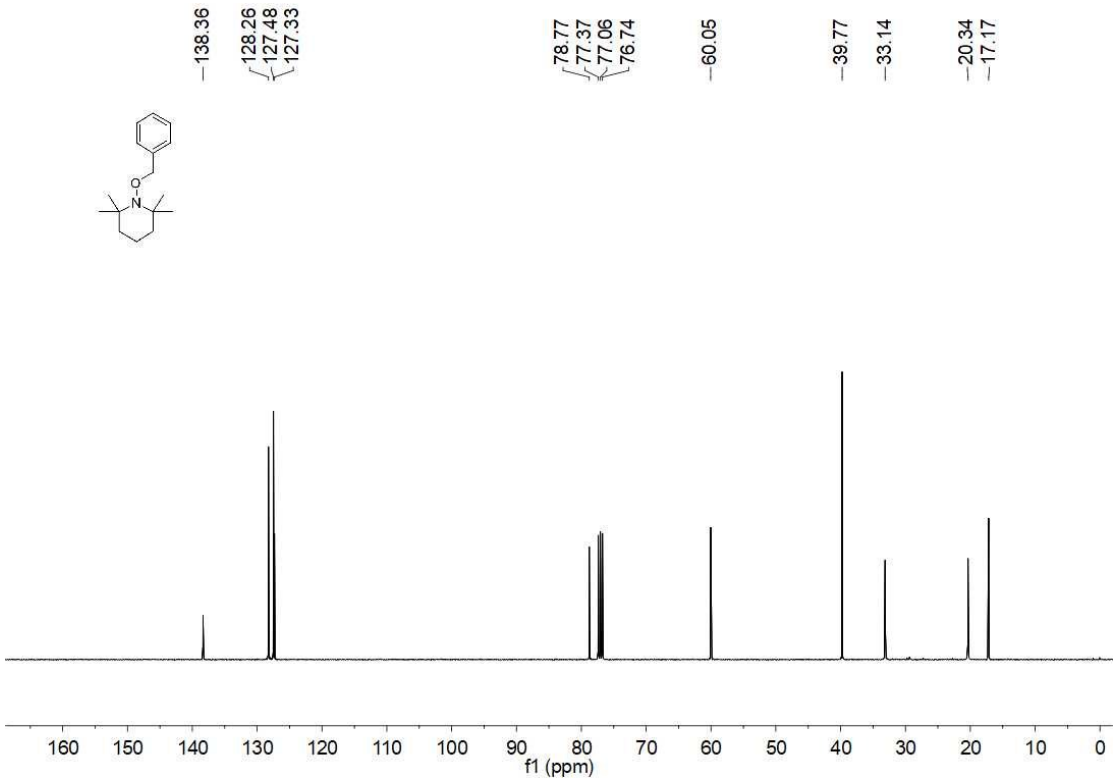
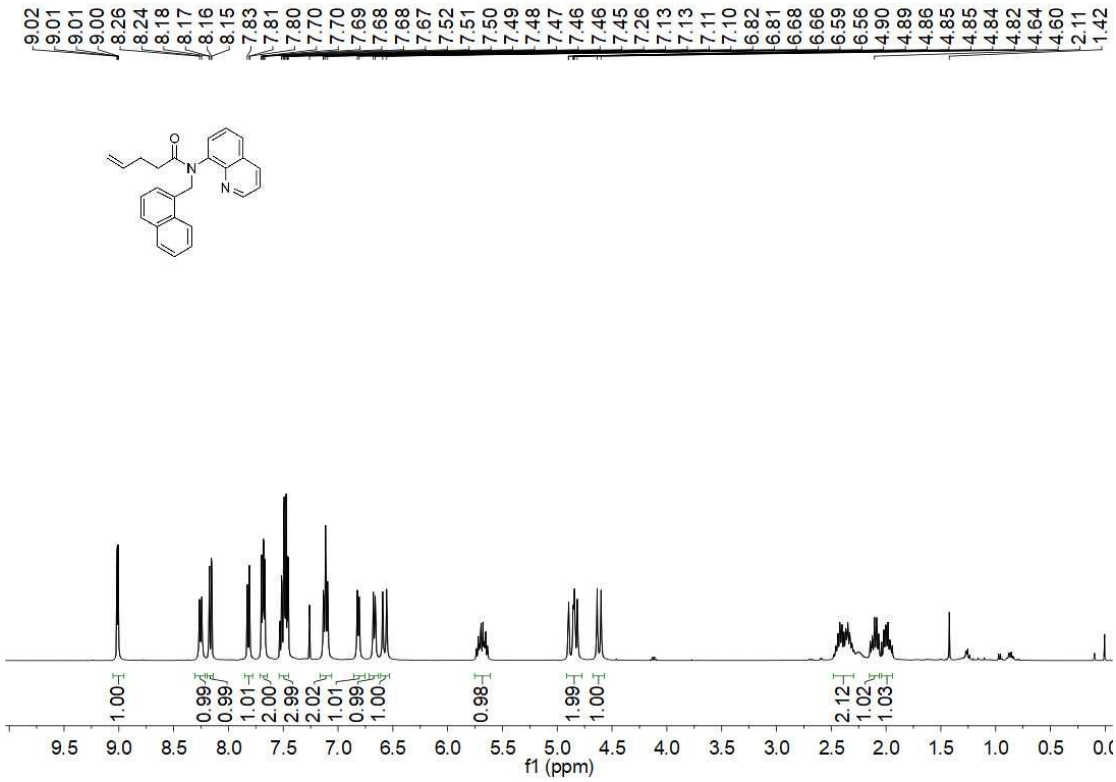
7.30
7.30
7.28
7.28
7.28
7.27
7.26
7.26
7.25
7.24
7.22
7.21
7.21
7.20
7.19
7.19
7.18
7.18
7.17
7.16
4.76
1.58
1.57
1.54
1.53
1.51
1.50
1.48
1.45
1.41
1.30
1.29
1.27
1.27
1.26
1.19
1.08
O
N
3.92
1.03
2.00
6.12
6.02
5.97
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.
f1 (ppm)

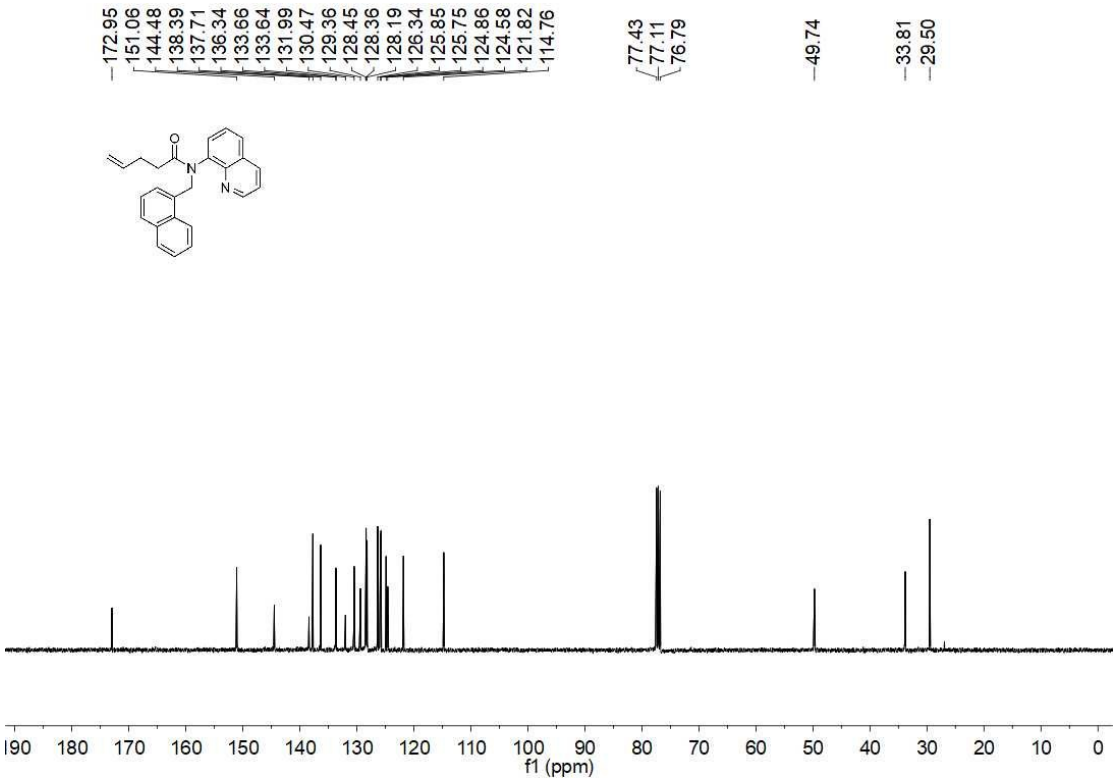
^{13}C NMR of 8a


138.36
128.26
127.48
127.33
78.77
77.37
77.06
76.74
60.05
39.77
33.14
20.34
17.17
O
N
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

^{1}H NMR of 8d


9.02
9.01
9.01
9.00
8.26
8.24
8.18
8.17
8.16
8.15
7.83
7.81
7.80
7.70
7.70
7.69
7.68
7.68
7.67
7.52
7.51
7.50
7.49
7.48
7.47
7.46
7.46
7.45
7.26
7.13
7.11
7.10
6.82
6.81
6.68
6.66
6.59
6.56
4.90
4.89
4.86
4.85
4.85
4.84
4.82
4.64
4.60
2.11
1.42
O
N
N
1.00
0.99
0.99
1.01
2.00
2.99
2.02
1.01
0.99
1.00
0.98
1.99
1.00
2.12
1.02
1.03
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
f1 (ppm)

^{13}C NMR of 8d


172.95
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138.39
137.71
136.34
133.66
133.64
131.99
130.47
129.36
128.45
128.36
128.19
126.34
125.85
125.75
124.86
124.58
121.82
114.76
77.43
77.11
76.79
49.74
33.81
29.50
O
N
N
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)