Cobalt-Catalyzed C-H Olefination of Aromatics with Unactivated Alkenes

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Electronic Supplementary Information (ESI)

Table of Contents

Experimental Section

General information: All reactions were carried out under the air atmosphere in flame-dried glassware. Syringes which were used to transfer anhydrous solvents or reagents were purged with nitrogen prior to use (three times). Dry solvents were used for the reaction. Column chromatographical purifications were performed using SiO_2 (120-200 mesh ASTM) from Merck if not indicated otherwise. Abbreviations for signal coupling are as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet. Starting Materials: commercial available starting materials, metal complexes and metal salts were purchased from commercial sources and used without further purification.

General Procedure for the Synthesis of Allyl Benzamides via A Cobalt-Catalyzed alkenylation of Benzamides with Unactivated Alkenes (GP 1).

To a 15-mL pressure tube containing $Co(OAc)\cdot 4H_2O$ (10 mol %), amides **1** (100 mg, 1 equiv) and Ag_2O (2.2 equiv) was added chlorobenzene (4.0 mL) via syringe. After that, unactivated alkenes **2** (3.0 equiv) and *pivalic acid (30 mol %, freshly distilled)* were added via syringe sequentially. After that, a screw cap was used to cover the tube. Again, the reaction mixture was stirred at room temperature for 5 minutes. Then, the reaction mixture was allowed to stir at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2, filtered through Celite and the filtrate was concentrated. The crude residue was purified through a silica gel column using hexanes and ethyl acetate as eluent to give pure product **3**.

Note: For compounds **3ab** and **3ai**, a 5.0 equiv of corresponding alkenes **2b** and **2i** were used. Because of their low boiling point, an excess amount was used.

Note: For reactions **1f, 1g** and **1h**, 5.0 equiv of corresponding alkenes **2a** and 3.0 equivalent of Ag_2O were used.

Note: The reaction is not air sensitive and nitrogen gas purging is not needed.

Note: A similar procedure was used to synthesize compounds **5a** and **5b**.

General Procedure for the Synthesis of Vinyl Benzamides via A Cobalt-Catalyzed Vinylation of Benzamides with Substituted Allyl Acetates or Allyl Alcohols (GP 2).

To a 15-mL pressure tube containing $Co(OAc)\cdot 4H_2O$ (10 mol %), amides **1** (100 mg, 1.0 equiv) and Ag_2O (2.2 equiv) was added cholorobenzene (4.0 mL) via syringe. After that, allyl

acetates or alcohols **2** (3.0 equiv) and *pivalic acid (3.0 equiv, freshly distilled)* were added via syringe sequentially. After that, a screw cap was used to cover the tube. Again, the reaction mixture was stirred at room temperature for 5 minutes. Then, the reaction mixture was allowed to stir at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2, filtered through Celite and the filtrate was concentrated. The crude residue was purified through a silica gel column using hexanes and ethyl acetate as eluent to give pure product **4**.

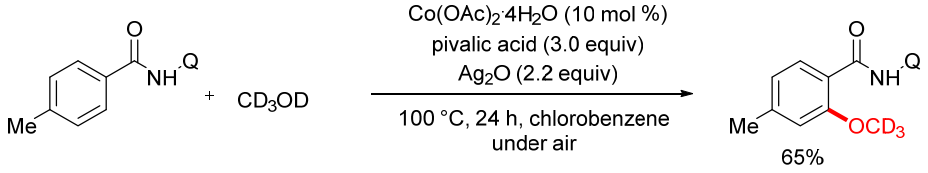
Note: The reaction is not air sensitive and nitrogen gas purging is not needed.

Note: For compound **4fr**, 1.5 equiv of corresponding allyl acetate **2r** were used.

Procedure for the Preparation of Compound 6 (GP 3).

Compound **3ah** (75 mg, 1.0 equiv) was dissolved in MeOH (3.0 mL) was taken in a 15-mL pressure tube containing KOH (0.65g, 20 equiv). Then, the pressure tube was closed with screw cap under air and allowed to stir at 120 ^oC for 36 h. After that pressure tube was taken out from oil bath and allowed to cool to room temperature. The reaction mixture was neutralised with 10% aq. HCl solution and extracted with EtOAc (10mL X 3). Organic layer was separated and concentrated after drying by using Na_2SO_4. Then, crude product **6** purified through a silica gel column using hexanes and ethyl acetate as eluent to give isomerised product **6**.

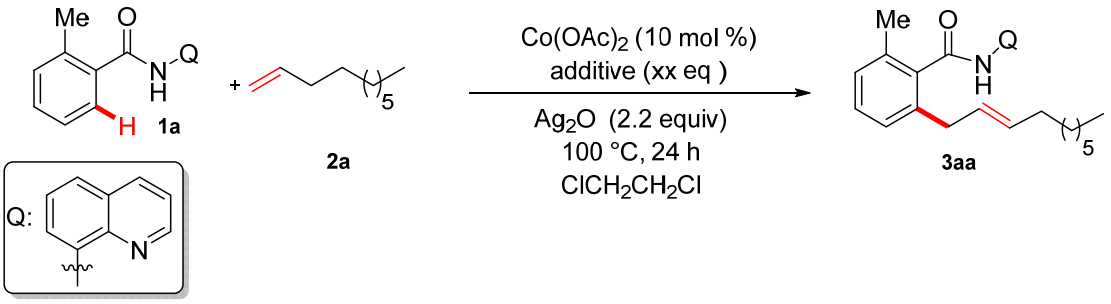
Procedure for Preparation of *ortho* Methoxy Benzamide 10 by Using CD_3OD (GP 4).



To a 15-mL pressure tube containing $Co(OAc)\cdot 4H_2O$ (10 mol %), benzamide **1f** (100 mg, 1.0 equiv) and Ag_2O (2.2 equiv) was added cholorobenzene (4.0 mL) via syringe. Then, deuterated CD_3OD (1.0 mL) and pivalic acid (30 mol %, *freshly distilled*) were added via syringes. After that, a screw cap was used to cover the tube. Later, the reaction mixture stirred at room temperature for 5 minutes. Then, the reaction mixture was allowed to stir at 100 °C for 24 h. After cooling to ambient temperature, the reaction mixture was diluted with CH_2Cl_2, filtered through Celite and the filtrate was concentrated. The crude residue was purified through a silica gel column using hexanes and ethyl acetate as eluent to give *ortho* methoxylated product **10**.

Optimization Studies

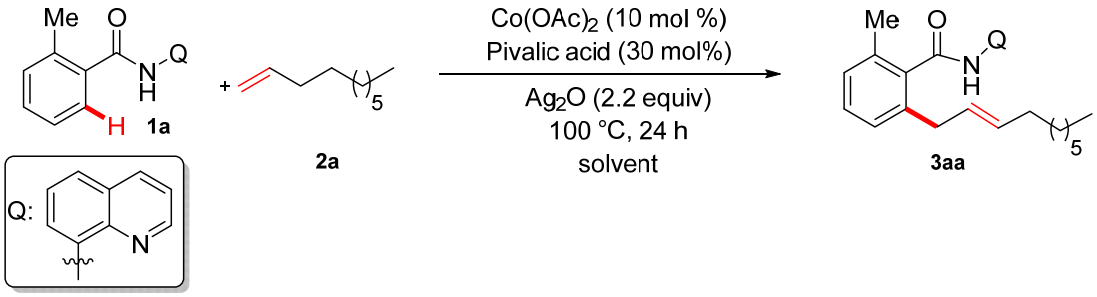
Table S1. Additive Optimization[a]



entry	additive (xx equiv)	yield **3aa** (%)[b]
1	AcOH (3 equiv)	NR
2	Adm-1-COOH (30 mol %)	22
3	**PivOH (30 mol %)**	34
4	Mesitylenic acid (30 mol %)	trace

[a]All reactions were carried out under the following conditions: **1a** (100 mg), **2a** (3.0 equiv), $Co(OAc)_2$ (10 mol %), additive (specified) and oxidant (2.2 equiv) in solvent (4 mL) at 100 [o]C for 24 h under air atmosphere. [b]Isolated yield.

Table S2. Solvent Optimization[a]

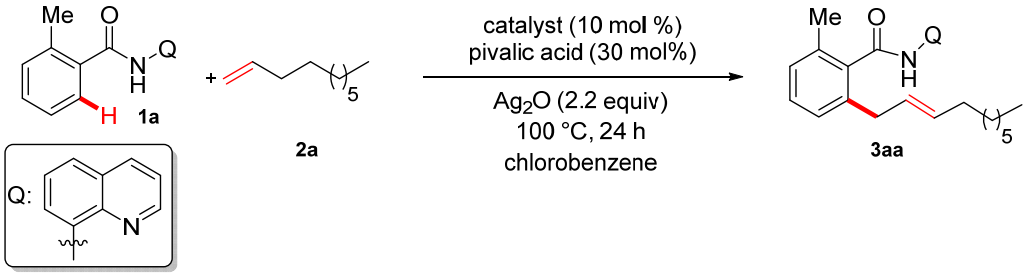


entry	solvent	yield **3aa** (%)[b]
1	1,4-dioxane	NR
2	Toluene	23
3	*tert*-amyl alcohol	NR
4	*tert*-BuOH	NR
5	*iso*-PrOH	NR
6	CF_3CH_2OH	21

7	CH_3CN	NR
8	DMF	NR
9	**cholorobenzene**	**60**
10	DMSO	NR
11	THF	NR

[a]All reactions were carried out under the following conditions: **1a** (100 mg), **2a** (3.0 equiv), $Co(OAc)_2$ (10 mol %), PivOH (30 mol %) and Ag_2O (2.2 equiv) in solvent (4.0 mL) at 100 ^oC for 24 h under air atmosphere. [b] Isolated yield.

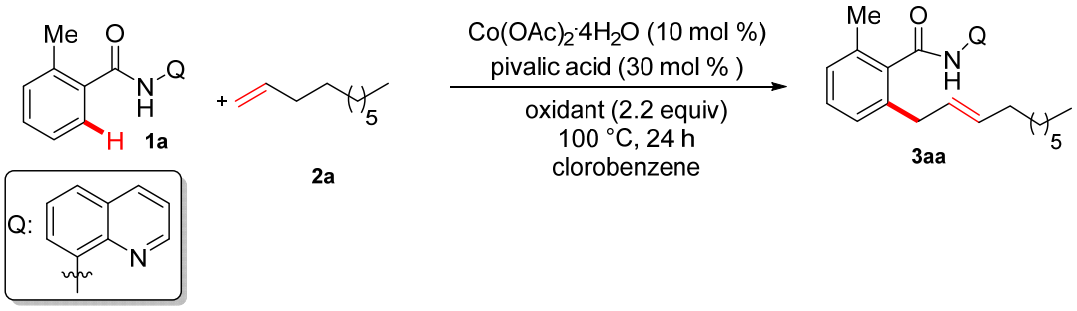
Table S3. Cobalt Source Optimization[a]



entry	cobalt source	yield **3aa** (%)[b]
1	$Co(acac)_2$	37
2	$CoBr_2$	NR
3	$Co(acac)_3$	NR
4	**$Co(OAc)_2{\cdot}4H_2O$**	**63**

[a]All reactions were carried out under the following conditions: **1a** (100 mg), **2a** (3.0 equiv), catalyst (10 mol %), PivOH (30 mol %) and Ag_2O (2.2 equiv) in solvent (4.0 mL) at 100 ^oC for 24 h under air atmosphere. [b] Isolated yield.

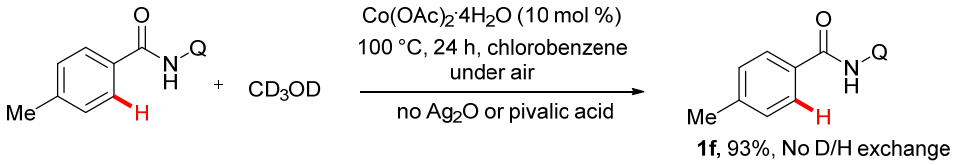
Table S4. Oxidant Optimization[a]



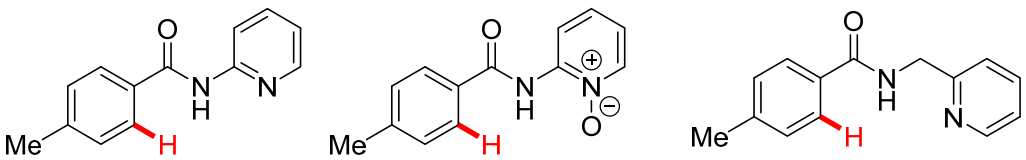
entry	oxidant (equiv)	yield **3aa** (%)[b]
1	$Cu(OAc)_2 \cdot H_2O$ (2.2 equiv)	NR
2	AgOTf (2.2 equiv)	23
3	AgOAc (2.2 equiv)	41
4	Ag_2CO_3 (2.2 equiv)	46
5	**Ag_2O (2.2 equiv)**	**63**
6	$Ag(CF_3CO_2)$ (2.2 equiv)	24
7	$K_2S_2O_8$ (2.2 equiv)	NR
8	$(NH_4)_2S_2O_8$ (2.2 equiv)	NR
9	Ag_2O (1.2 equiv)	43

[a]All reactions were carried out under the following conditions: **1a** (100 mg), **2a** (3.0 equiv), $Co(OAc)_2 \cdot 4H_2O$ (10 mol %), PivOH (30 mol %) and oxidant (specified) in solvent (4.0 mL) at 100 °C for 24 h under air atmosphere. [b] Isolated yield.

Controlled experiments:

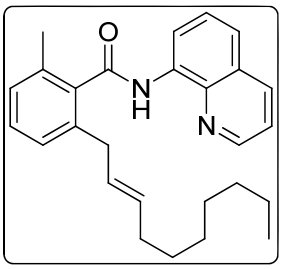


Other Failure Directing Groups



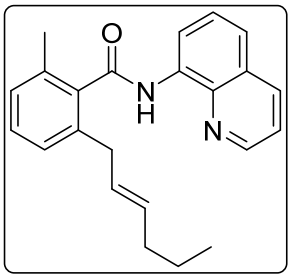
Spectral Data of Compounds

(*E*)-2-Methyl-6-(dec-2-en-1-yl)-*N*-(quinolin-8-yl)benzamide (3aa).



Prepared according to **GP 1**; Coloueless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 63% (96 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.94 (s, 1H), 9.02 (d, *J* = 7.4 Hz, 1H), 8.75 (d, *J* = 4.2 Hz, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.60 (dd, *J* = 15.2, 7.8 Hz, 2H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.31 (t, *J* = 7.6 Hz, 1H), 7.16 (dd, *J* = 7.2, 4.4 Hz, 2H), 5.57 (dd, *J* = 14.6, 7.4 Hz, 1H), 5.44 (dd, *J* = 14.6, 7.2 Hz, 1H), 3.47 (d, *J* = 6.6 Hz, 2H), 2.46 (s, 3H), 1.91 – 1.77 (m, 2H), 1.33 – 1.21 (m, 2H), 1.14 (brs, 8H), 0.87 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.7, 148.3, 138.6, 137.8, 137.8, 136.4, 134.6, 134.5, 132.5, 129.2, 128.2, 128.1, 128.1, 127.5, 127.1, 121.9, 121.7, 116.8, 36.8, 32.5, 31.8, 29.3, 29.2, 29.2, 22.7, 19.6, 14.2. **IR (ATR)** ṽ (cm^{-1}): 3346, 2922, 2853, 1722, 1675, 1517, 1477, 1382, 1324 and 1074. **HRMS (ESI):** calc. for [($C_{27}H_{32}N_2O$)H] (M+H) 401.2593, measured 401.2597.

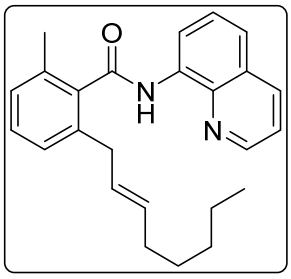
(*E*)-2-(Hex-2-en-1-yl)-6-methyl-*N*-(quinolin-8-yl)benzamide (3ab).



Prepared according to **GP 1**; colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 75% (98 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.95 (s, 1H), 9.02 (dd, *J* = 7.4, 1.6 Hz, 1H), 8.75 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.71 – 7.56 (m, 2H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.31 (dd, *J* = 13.4, 5.8 Hz, 1H), 7.19 – 7.13 (m, 2H), 5.69 – 5.51 (m, 1H), 5.51 – 5.28 (m, 1H), 3.47 (d, *J* = 6.8 Hz, 2H), 2.46 (s, 3H), 1.85 (td, *J* = 7.8, 1.2 Hz, 2H), 1.23 (dq, *J* = 14.6, 7.4 Hz, 2H), 0.77 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR (100**

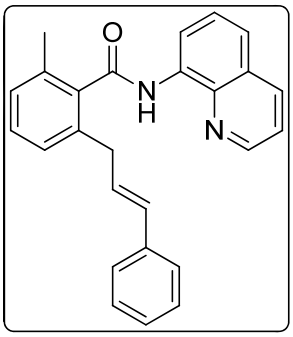
MHz, $CDCl_3$): δ 168.8, 148.3, 138.6, 137.8, 137.8, 136.4, 134.8, 134.5, 132.3, 129.2, 128.4, 128.1, 128.1, 127.5, 127.1, 122.0, 121.7, 116.9, 36.7, 34.6, 22.4, 19.6, 13.7. **IR (ATR)** ṽ (cm^{-1}): 3340, 2911, 2842, 1706, 1666, 1526, 1474, 1354, 1319 and 1103. **HRMS (ESI):** calc. for $[(C_{23}H_{24}N_2O)H]$ (M+H) 345.1967, measured 345.1972.

(*E*)-2-(Oct-2-en-1-yl)-6-methyl-*N*-(quinolin-8-yl)benzamide (3ac).



Prepared according to **GP 1**; coloueless oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 67% (95 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.94 (s, 1H), 9.01 (d, *J* = 7.4 Hz, 1H), 8.75 (d, *J* = 4.0 Hz, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.70 – 7.54 (m, 2H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.30 (dd, *J* = 13.2, 5.4 Hz, 1H), 7.16 (dd, *J* = 7.4, 4.2 Hz, 2H), 5.64 – 5.53 (m, 1H), 5.48 – 5.37 (m, 1H), 3.46 (d, *J* = 6.6 Hz, 2H), 2.46 (s, 3H), 1.84 (q, *J* = 6.6 Hz, 2H), 1.23 – 1.03 (m, 6H), 0.81 (t, *J* = 6.8 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.7, 148.3, 138.6, 137.8, 137.8, 136.4, 134.8, 134.5, 132.5, 129.2, 128.2, 128.1, 128.1, 127.6, 127.1, 121.9, 121.7, 116.8, 36.8, 32.4, 31.5, 28.9, 22.5, 19.6, 14.1. **IR (ATR)** ṽ (cm^{-1}): 3333, 2906, 2823, 1716, 1665, 1506, 1465, 1379, 1319 and 1063.**HRMS (ESI):** calc. for $[(C_{25}H_{28}N_2O)H]$ (M+H) 373.2280, measured 373.2285.

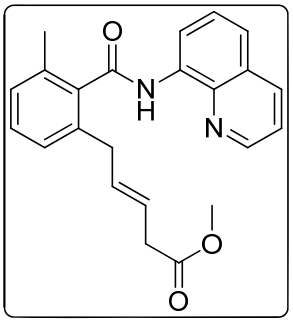
2-Cinnamyl-6-methyl-*N*-(quinolin-8-yl)benzamide (3ad).



Prepared according to **GP 1**; Colourless thick oil; eluent (25% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 73% (105 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.01 (s, 1H), 9.05 (dd, *J* = 7.6, 1.4 Hz, 1H), 8.52 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.15 (dd, *J* = 8.2, 1.6 Hz, 1H),

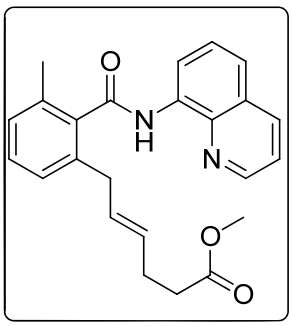
7.69 – 7.60 (m, 1H), 7.57 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.42 – 7.31 (m, 2H), 7.22 (dd, *J* = 14.2, 7.6 Hz, 2H), 7.17 – 7.08 (m, 5H), 6.42 – 6.31 (m, 2H), 3.69 (d, *J* = 6.0 Hz, 2H), 2.50 (s, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** 168.6, 148.2, 138.4, 137.9, 137.3, 136.8, 136.2, 134.9, 134.3, 131.3, 129.3, 128.7, 128.4, 128.2, 127.9, 127.3, 127.3, 126.8, 126.0, 122.0, 121.6, 116.8, 37.1, 19.5. **IR (ATR)** $\tilde{\nu}$ (cm^{-1}): 3744, 3405, 2855, 1709, 1527, 1465, 1376, 1337, 1203 and 1125. **HRMS (ESI):** calc. for [($C_{26}H_{22}N_2O$)H] (M+H) 379.1810, measured 379.1804.

Methyl (*E*)-5-(3-methyl-2-(quinolin-8-ylcarbamoyl)phenyl)pent-3-enoate (3ae).



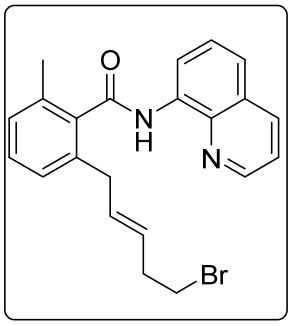
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 67% (96 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.95 (s, 1H), 9.01 (dd, *J* = 7.4, 1.6 Hz, 1H), 8.76 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.82 – 7.54 (m, 2H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.31 (dd, *J* = 13.8, 6.2 Hz, 1H), 7.17 (d, *J* = 7.8 Hz, 2H), 5.78 (dt, *J* = 15.2, 6.8 Hz, 1H), 5.58 (dt, *J* = 15.2, 6.8 Hz, 1H), 3.61 (s, 3H), 3.53 (d, *J* = 6.8 Hz, 2H), 2.95 (d, *J* = 6.8 Hz, 2H), 2.47 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 172.2, 168.5, 148.3, 138.5, 137.7, 136.7, 136.4, 134.9, 134.3, 132.7, 129.3, 128.3, 128.1, 127.4, 127.1, 123.3, 122.1, 121.7, 116.8, 51.7, 37.6, 36.7, 19.5. **IR (ATR)** $\tilde{\nu}$ (cm^{-1}): 3743, 3343, 2921, 1737, 1676, 1521, 1481, 1425, 1385, 1236 and 1128. **HRMS (ESI):** calc. for [($C_{23}H_{22}N_2O_3$)H] (M+H) 375.1709, measured 375.1714.

Methyl (*E*)-5-(3-methyl-2-(quinolin-8-ylcarbamoyl)phenyl)hex-3-enoate (3af).



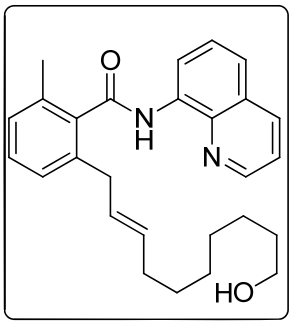
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 68% (101 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.94 (s, 1H), 9.01 (dd, *J* = 7.4, 1.6 Hz, 1H), 8.77 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.7 Hz, 1H), 7.70 – 7.54 (m, 2H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.30 (dd, *J* = 12.8, 5.0 Hz, 1H), 7.16 (t, *J* = 7.2 Hz, 2H), 5.75 – 5.57 (m, 1H), 5.51 – 5.37 (m, 1H), 3.61 (s, 3H), 3.47 (d, *J* = 6.8 Hz, 2H), 2.46 (s, 3H), 2.31 – 2.15 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$):** 173.6, 168.7, 148.4, 138.6, 137.7, 137.3, 136.4, 134.8, 134.4, 130.0, 129.7, 129.2, 128.3, 128.1, 127.5, 127.1, 122.1, 121.8, 116.8, 51.5, 36.6, 33.71, 27.7, 19.6. **IR (ATR)** ṽ (cm^{-1}): 3346, 3007, 2950, 1733, 1672, 1517, 1426, 1383, 1323 and 1082. **HRMS (ESI):** calc. for [($C_{24}H_{24}N_2O_3$)H] (M+H) 389.1865 measured 389.1874.

(*E*)-2-(5-Bromopent-2-en-1-yl)-6-methyl-*N*-(quinolin-8-yl)benzamide (3ag).



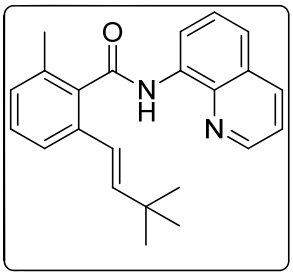
Prepared according to **GP 1**; Colourless oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 63% (98 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.94 (s, 1H), 9.02 (dd, *J* = 7.4, 1.4 Hz, 1H), 8.77 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.21 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.62 (ddd, *J* = 10.7, 9.8, 4.8 Hz, 2H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.37 – 7.28 (m, 1H), 7.18 (d, *J* = 7.6 Hz, 2H), 5.74 (dt, *J* = 15.2, 6.8 Hz, 1H), 5.42 (dt, *J* = 15.2, 6.8 Hz, 1H), 3.51 (d, *J* = 6.8 Hz, 2H), 3.21 (t, *J* = 7.2 Hz, 2H), 2.47 (s, 3H), 2.43 (dd, *J* = 14.2, 7.2 Hz, 2H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.6, 148.3, 138.5, 137.7, 136.9, 136.4, 134.8, 134.3, 131.7, 129.2, 128.4, 128.4, 128.1, 127.4, 127.2, 122.1, 121.7, 116.8, 36.6, 35.8, 32.3, 19.5. **IR (ATR)** ṽ (cm^{-1}): 3343, 3050, 1671, 1590, 1518, 1477, 1424, 1324 and 1089. **HRMS (ESI):** calc. for [($C_{22}H_{21}BrN_2O$)H] (M+H) 409.0916, measured 409.0924.

(*E*)-2-(10-Hydroxydec-2-en-1-yl)-6-methyl-N-(quinolin-8-yl)benzamide (3ah).



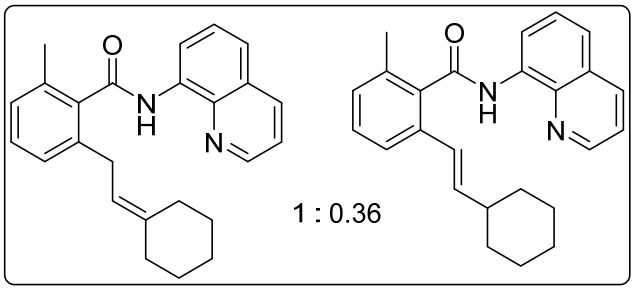
Prepared according to **GP 1**; Colourless oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 66% (105 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.96 (s, 1H), 9.02 (d, *J* = 7.4 Hz, 1H), 8.75 (d, *J* = 4.0 Hz, 1H), 8.19 (d, *J* = 8.2 Hz, 1H), 7.67 – 7.53 (m, 2H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.20 – 7.12 (m, 2H), 5.67 – 5.52 (m, 1H), 5.49 – 5.36 (m, 1H), 3.60 (t, *J* = 6.8 Hz, 2H), 3.47 (d, *J* = 6.8 Hz, 2H), 2.46 (s, 3H), 1.93 – 1.79 (m, 2H), 1.56 – 1.44 (m, 2H), 1.32 – 1.00 (m, 9H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.8, 148.2, 138.5, 137.7, 137.6, 136.4, 134.7, 134.4, 132.3, 129.1, 128.2, 128.0, 128.0, 127.4, 127.0, 121.9, 121.6, 116.8, 62.9, 36.7, 32.7, 32.3, 29.2, 29.0, 25.6, 19.5. **IR (ATR)** ṽ (cm^{-1}): 3344, 3043, 2853, 1731, 1665, 1506, 1456, 1379, 1319 and 1024. **HRMS (ESI):** calc. for [($C_{27}H_{32}N_2O_2$)Na] (M+Na) 439.2361, measured 439.2355.

(*E*)-2-(3,3-Dimethylbut-1-en-1-yl)-6-methyl-*N*-(quinolin-8-yl)benzamide (3ai).



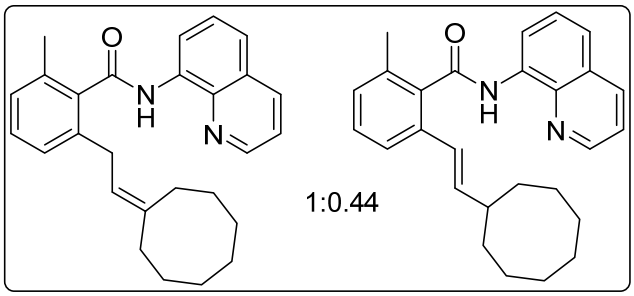
Prepared according to **GP 1**; Colourless oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 57% (78 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.92 (s, 1H), 9.02 (dd, *J* = 7.6, 1.4 Hz, 1H), 8.74 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.70 – 7.55 (m, 2H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.16 (d, *J* = 7.8 Hz, 1H), 6.51 (d, *J* = 16.0 Hz, 1H), 6.25 (d, *J* = 16.0 Hz, 1H), 2.47 (s, 3H), 0.93 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.6, 148.3, 145.2, 138.6, 136.4, 135.7, 135.3, 134.6, 129.2, 128.8, 128.1, 127.5, 123.5, 122.1, 121.9, 121.7, 116.8, 33.6, 29.4, 19.6. **IR (ATR)** ṽ (cm^{-1}): 3743, 3344, 2955, 1719, 1517, 1475, 1382, 1324, 1259 and 1125. **HRMS (ESI):** calc. for [($C_{23}H_{24}N_2O$)Na] (M+Na) 367.1786, measured 367.1797.

2-(2-Cyclohexylideneethyl)-6-methyl-*N*-(quinolin-8-yl)benzamide (3aj and **3aj').**



Prepared according to **GP 1**; Colourless oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 64% (combined with minor isomer ratio 1:0.36) (94 mg). Only major isomer data is mentioned here. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.95 (s, 1H), 9.01 (d, *J* = 7.6 Hz, 1H), 8.76 (d, *J* = 4.2 Hz, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.68 – 7.55 (m, 2H), 7.46 (dd, *J* = 8.2, 3.8 Hz, 1H), 7.34 – 7.26 (m, 2H), 7.15 (t, *J* = 8.2 Hz, 2H), 5.29 (t, *J* = 7.2 Hz, 1H), 3.49 (d, *J* = 7.2 Hz, 1H), 2.45 (s, 3H), 2.16 – 2.06 (m, 2H), 1.98 (t, *J* = 5.2 Hz, 2H), 1.33 – 0.94 (m, 6H).**^{13}C NMR (100 MHz, $CDCl_3$):** 168.8, 148.2, 140.8, 138.7, 138.6, 137.7, 136.3, 134.6, 134.5, 129.1, 128.0, 127.9, 127.4, 126.8, 121.9, 121.7, 119.4, 116.8, 37.1, 31.1, 28.7, 28.4, 27.6, 26.8, 19.5. **IR (ATR)** $\tilde{\nu}$ (cm^{-1}): 3743, 3333, 2920, 1663, 1509, 1466, 1421, 1373, 1247 and 1058. **HRMS (ESI):** calc. for [($C_{25}H_{26}N_2O$)H] (M+H) 371.2123 measured 317.2132.

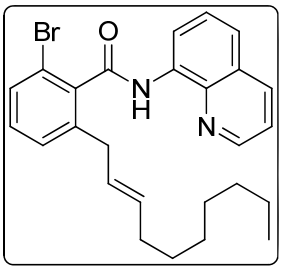
2-(2-Cyclooctylideneethyl)-6-methyl-N-(quinolin-8-yl)benzamide (3ak and **3ak').**



Prepared according to **GP 1**; Colourless oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 62% (combined with minor isomer ratio 1: 0.44) (95 mg). Only major isomer data is mentioned here. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.95 (s, 1H), 9.02 (dd, *J* = 7.4, 1.2 Hz, 1H), 8.76 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.63 (dd, *J* = 10.8, 4.6 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.30 (d, *J* = 8.6 Hz, 1H), 7.17 (dd, *J* = 10.6, 7.8 Hz, 2H), 5.38 (t, *J* = 7.2 Hz, 1H), 3.51 (d, *J* = 7.2 Hz, 2H), 2.47 (s, 3H), 2.16 – 2.06 (m, 2H), 2.06 – 1.99 (m, 2H), 1.73 – 1.66 (m, 2H), 1.59 – 1.51 (m,

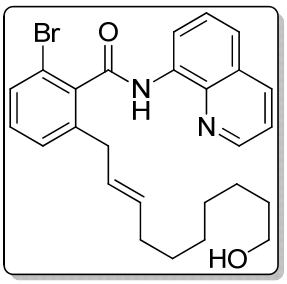
8H).**^{13}C NMR (100 MHz, $CDCl_3$):** 168.8, 148.2, 142. 2, 140.8, 138.7, 138.5, 137.8, 136.3, 134.6, 134.5, 129.1, 127.8, 127.4, 126.8, 123.4, 121.8, 121.6, 116.9, 37.4, 31.3, 29.1, 27.2, 26.3, 26.3, 25.9, 25.1, 24.8. **IR (ATR)** ṽ (cm^{-1}): 3744, 3343, 2917, 1673, 1518, 1476, 1425, 1383, 1260 and 1168. **HRMS (ESI):** calc. for [($C_{27}H_{30}N_2O$)H] (M+H) 399.2436, measured 299.2435.

(*E*)-2-Bromo-6-(dec-2-en-1-yl)-*N*-(quinolin-8-yl)benzamide (3ba).



Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 55 % (78 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.99 (s, 1H), 9.01 (dd, *J* = 7.2, 1.6 Hz, 1H), 8.78 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.68 – 7.62 (m, 1H), 7.59 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.52 (dd, *J* = 7.2, 2.0 Hz, 1H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.37 – 7.22 (m, 2H), 5.56 (dt, *J* = 14.2, 6.6 Hz, 1H), 5.46 (dt, *J* = 14.0, 6.4 Hz, 1H), 3.50 (d, *J* = 6.4 Hz, 2H), 1.86 (q, *J* = 6.6 Hz, 2H), 1.34 – 1.15 (m, 10 H), 0.88 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 166.3, 148.3, 140.6, 138.7, 138.5, 136.3, 134.2, 133.3, 130.5, 128.6, 128.0, 127.4, 127.2, 122.2, 121.7, 119.8, 116.9, 36.9, 32.4, 31.8, 29.1, 29.1, 29.1, 22.6, 14.1. **HRMS (ESI):** calc. for [($C_{26}H_{29}BrN_2O$)H] (M+H) 465.1542, measured 465.1540.

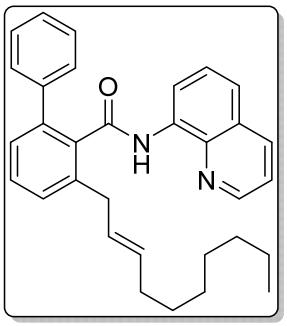
(*E*)-2-Bromo-6-(10-hydroxydec-2-en-1-yl)-*N*-(quinolin-8-yl)benzamide (3bh).



Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 53% (78 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.98 (s, 1H), 8.99 (dd, *J* = 7.2, 1.8 Hz, 1H), 8.77 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.67 –

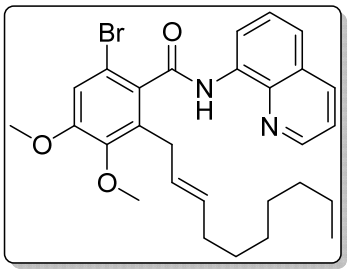
7.57 (m, 2H), 7.52 (dd, *J* = 6.6, 2.6 Hz, 1H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.31 – 7.23 (m, 2H), 5.56 (dt, *J* = 14.4, 6.6 Hz, 1H), 5.50 – 5.38 (m, 1H), 3.61 (t, *J* = 6.6 Hz, 2H), 3.49 (d, *J* = 6.4 Hz, 2H), 1.91 – 1.79 (m, 2H), 1.57 – 1.45 (m, 3H), 1.37 – 1.16 (m, 8H). **^{13}C NMR (100 MHz, $CDCl_3$):** 166.4, 148.4, 140.7, 138.8, 138.6, 136.4, 134.2, 133.2, 130.6, 128.7, 128.1, 127.5, 127.4, 122.3, 121.8, 119.9, 117.1, 63.1, 36.9, 32.8, 32.4, 29.2, 29.1, 29.1, 25.7. **HRMS (ESI):** calc. for [($C_{26}H_{29}BrN_2O_2$)H] (M+H) 481.1491, measured 481.1493.

(*E*)-3-(Dec-2-en-1-yl)-*N*-(quinolin-8-yl)-[1,1'-biphenyl]-2-carboxamide (3ca).



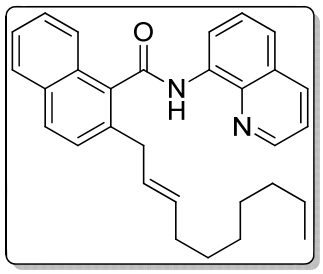
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 75% (107 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.67 (s, 1H), 8.81 (dd, *J* = 7.6, 1.4 Hz, 1H), 8.63 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.08 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.57 (dd, *J* = 8.2, 1.2 Hz, 2H), 7.52 (d, *J* = 7.8 Hz, 1H), 7.50 – 7.44 (m, 2H), 7.40 – 7.33 (m, 3H), 7.29 – 7.21 (m, 2H), 7.15 – 7.07 (m, 1H), 5.65 (ddd, *J* = 14.8, 7.2, 6.2 Hz, 1H), 5.58 – 5.44 (m, 1H), 3.63 (d, *J* = 6.6 Hz, 2H), 1.87 (q, *J* = 6.6 Hz, 2H), 1.34 – 1.14 (m, 10H), 0.88 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.1, 147.9, 140.4, 139.8, 139.1, 138.4, 136.6, 136.0, 134.4, 132.6, 129.3, 128.8, 128.7, 128.2, 128.0, 128.0, 127.8, 127.3, 121.6, 121.4, 116.5, 36.8, 32.5, 31.8, 29.2, 29.2, 29.1, 22.6, 14.1. **HRMS (ESI):** calc. for [($C_{32}H_{34}N_2O$)H] (M+H) 463.2749, measured 463.2750.

(*E*)-6-Bromo-2-(dec-2-en-1-yl)-3,4-dimethoxy-*N*-(quinolin-8-yl)benzamide (3da).



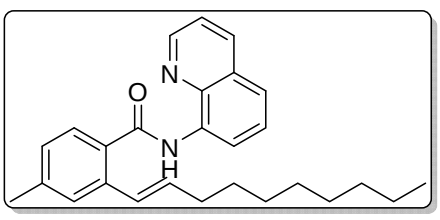
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 53 % (75 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.94 (s, 1H), 8.99 (dd, *J* = 7.4, 1.6 Hz, 1H), 8.76 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.19 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.72 – 7.56 (m, 2H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.06 (s, 1H), 5.63 – 5.47 (m, 1H), 5.38 (dt, *J* = 15.2, 6.5 Hz, 1H), 3.92 (s, 3H), 3.86 (s, 3H), 3.50 (d, *J* = 5.9 Hz, 2H), 1.73 (d, *J* = 6.2 Hz, 2H), 1.23 – 1.16 (m, 2H), 1.16 – 1.00 (m, 8H), 0.85 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 166.0, 153.8, 148.2, 146.7, 138.5, 136.3, 134.3, 134.2, 132.4, 132.4, 128.0, 127.4, 127.2, 122.1, 121.6, 116.8, 114.6, 113.9, 60.8, 56.1, 32.4, 31.7, 31.3, 29.2, 29.0, 22.6, 14.1. **HRMS (ESI):** calc. for [($C_{28}H_{33}BrN_2O_3$)H] (M+H) 525.1753, measured 525.1748.

(*E*)-2-(Dec-2-en-1-yl)-*N*-(quinolin-8-yl)-1-naphthamide (3ea).



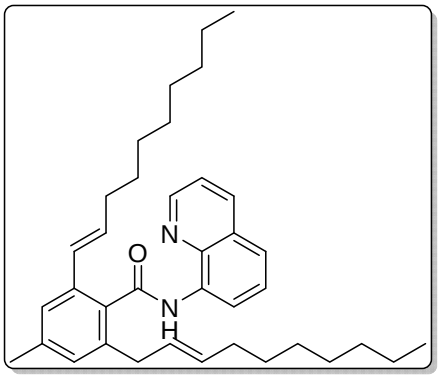
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 51 % (75 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.17 (s, 1H), 9.17 (dd, *J* = 7.6, 1.4 Hz, 1H), 8.68 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 8.04 (dd, *J* = 6.2, 3.6 Hz, 1H), 7.99 – 7.86 (m, 2H), 7.72 – 7.65 (m, 1H), 7.62 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.56 – 7.47 (m, 3H), 7.48 – 7.40 (m, 2H), 5.78 – 5.61 (m, 1H), 5.52 (ddd, *J* = 15.2, 10.2, 6.0 Hz, 1H), 3.65 (d, *J* = 6.4 Hz, 2H), 1.92 (d, *J* = 6.8 Hz, 2H), 1.38 – 1.14 (m, 9H), 0.88 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.2, 148.2, 138.5, 136.3, 135.3, 134.5, 134.0, 132.8, 132.0, 130.4, 129.4, 128.1, 127.9, 127.9, 127.7, 127.5, 127.0, 125.8, 125.0, 122.1, 121.6, 116.9, 37.1, 32.5, 31.8, 29.2, 29.1, 29.1, 22.6, 14.1. **HRMS (ESI):** calc. for [($C_{30}H_{32}N_2O$)H] (M+H) 437.2593, measured 437.2587.

(*E*)-2-(Dec-1-en-1-yl)-4-methyl-*N*-(quinolin-8-yl)benzamide (3fa).



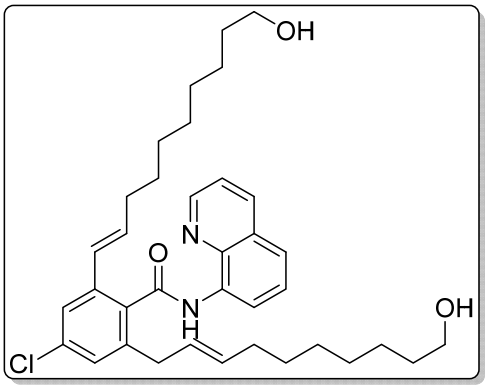
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 12 % (18 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.24 (s, 1H), 8.98 (d, *J* = 7.6 Hz, 1H), 8.77 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.19 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.64 (dd, *J* = 18.6, 7.8 Hz, 2H), 7.59 – 7.54 (m, 1H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.42 (s, 1H), 7.17 (s, 1H), 6.90 (d, *J* = 15.6 Hz, 1H), 6.29 (dt, *J* = 15.6, 6.8 Hz, 1H), 2.44 (s, 3H), 2.21 (td, *J* = 8.2, 1.2 Hz, 2H), 1.47 – 1.37 (m, 2H), 1.35 – 1.21 (m, 10H), 0.88 (t, *J* = 4.8 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 167.9, 148.2, 140.6, 138.7, 136.7, 136.2, 134.9, 134.8, 132.4, 128.9, 128.2, 128.0, 127.7, 127.5, 127.5, 127.3, 121.6, 121.6, 116.5, 33.2, 31.8, 29.4, 29.2, 29.2, 22.6, 21.5, 14.1.

2-((*E*)-Dec-1-en-1-yl)-6-((*E*)-dec-2-en-1-yl)-4-methyl-*N*-(quinolin-8-yl)benzamide (3faa).



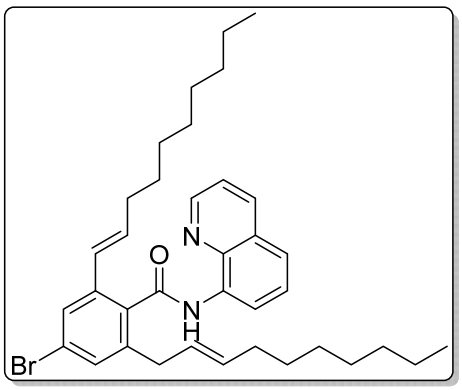
Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 35 % (72 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.93 (s, 1H), 9.04 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.73 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.18 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.68 – 7.55 (m, 2H), 7.48 – 7.39 (m, 1H), 7.28 (d, *J* = 3.2 Hz, 1H), 7.00 (s, 1H), 6.57 (d, *J* = 15.6 Hz, 1H), 6.25 (dt, *J* = 15.6, 7.2 Hz, 1H), 5.57 (dt, *J* = 13.2, 6.8 Hz, 1H), 5.49 – 5.38 (m, 1H), 3.45 (d, *J* = 6.6 Hz, 2H), 2.40 (s, 3H), 2.09 (q, *J* = 6.6 Hz, 1H), 1.91 – 1.81 (m, 2H), 1.38 – 1.11 (m, 23H), 0.89 – 0.85 (m, 6H). **^{13}C NMR (100 MHz, $CDCl_3$):** 168.6, 148.0, 138.8, 138.5, 138.1, 137.8, 136.2, 135.4, 134.6, 134.1, 133.4, 132.4, 132.4, 128.8, 128.2, 128.2, 128.0, 128.0, 127.4, 126.9, 124.1, 121.7, 121.6, 116.6, 36.6, 36.6, 33.2, 32.4, 31.9, 31.8, 29.2, 29.1, 29.1, 22.6, 22.6, 21.4, 14.1. **HRMS (ESI):** calc. for [($C_{37}H_{50}N_2O$)H] (M+H) 539.4001, measured 539.4003.

4-Chloro-2-((*E*)-dec-1-en-1-yl)-6-((*E*)-dec-2-en-1-yl)-*N*-(quinolin-8-yl)benzamide (3ghh).



Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 31% (61 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.92 (s, 1H), 8.98 (dd, *J* = 7.2, 1.6 Hz, 1H), 8.74 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.70 – 7.55 (m, 3H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.43 (d, *J* = 1.8 Hz, 1H), 7.17 – 7.14 (m, 1H), 6.51 (d, *J* = 15.6 Hz, 1H), 6.26 (dt, *J* = 15.6, 7.2 Hz, 1H), 5.52 (dd, *J* = 13.6, 7.8 Hz, 1H), 5.45 (dd, *J* = 14.0, 7.6 Hz, 1H), 3.61 (dt, *J* = 6.6, 5.4 Hz, 5H), 3.43 (d, *J* = 6.2 Hz, 2H), 2.09 (d, *J* = 6.6 Hz, 2H), 1.92 – 1.81 (m, 3H), 1.53 – 1.48 (m, 5H), 1.37 – 1.14 (m, 16H). **^{13}C NMR (100 MHz, $CDCl_3$):** 167.5, 148.2, 140.2, 138.5, 137.3, 136.3, 135.7, 135.1, 134.2, 134.1, 133.2, 128.0, 127.6, 127.4, 127.3, 127.2, 125.8, 123.4, 122.1, 121.7, 116.8, 63.0, 36.4, 33.0, 32.7, 32.7, 32.3, 29.2, 29.2, 29.1, 29.0, 28.9, 28.9, 28.8, 25.6. **HRMS (ESI):** calc. for $[(C_{36}H_{47}ClN_2O_3)H]$ (M+H) 591.3353, measured 591.3349.

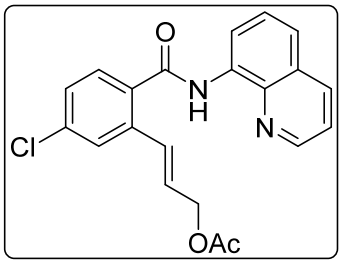
4-Bromo-2-((*E*)-dec-1-en-1-yl)-6-((*E*)-dec-2-en-1-yl)-*N*-(quinolin-8-yl)benzamide (3haa).



Prepared according to **GP 1**; Colourless oil; eluent (15% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 36% (66 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.93 (s, 1H), 9.00 (d, *J* = 7.2 Hz, 1H), 8.74 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.19 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.68 – 7.57 (m,

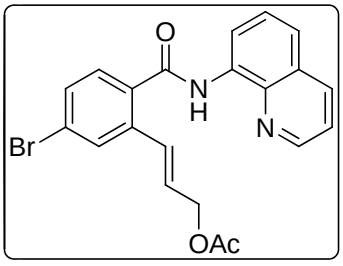
3H), 7.50 – 7.42 (m, 1H), 7.34 – 7.29 (m, 1H), 6.51 (d, *J* = 15.6 Hz, 1H), 6.27 (dt, *J* = 15.6, 7.2 Hz, 1H), 5.57 – 5.41 (m, 2H), 3.44 (d, *J* = 6.2 Hz, 2H), 2.10 (q, *J* = 7.2 Hz, 1H), 1.88 – 1.83(m, 2H), 1.48 – 1.10 (m, 23H), 0.89 – 0.84(m, 6H). **^{13}C NMR (100 MHz, $CDCl_3$):** 167.4, 148.2, 140.4, 140.2, 138.5, 137.5, 136.3, 135.8, 134.6, 134.3, 133.3, 130.6, 130.2, 128.0, 127.4, 127.2, 127.1, 126.4, 125.6, 123.5, 122.1, 121.7, 116.8, 36.3, 33.1, 32.4, 31.8, 31.8, 29.3, 29.1, 29.1, 29.0, 22.6, 22.6, 14.1. **HRMS (ESI):** calc. for [($C_{36}H_{47}BrN_2O$)H] (M+H) 603.2950, measured 603.2941.

(*E*)- 3-(5-Chloro-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4gl).



Prepared according to **GP 2**; White solid; eluent (20% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 42% (57 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.21 (s, 1H), 8.89 (d, *J* = 7.2 Hz, 1H), 8.76 (d, *J* = 4.2 Hz, 1H), 8.18 (d, *J* = 8.2 Hz, 1H), 7.69 (d, *J* = 8.2 Hz, 1H), 7.60 – 7.57 (m, 3H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.15 (d, *J* = 15.8 Hz, 1H), 6.33 (dt, *J* = 15.8, 6.2 Hz, 1H), 4.70 (d, *J* = 6.2 Hz, 2H), 1.97 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.7, 166.3, 148.5, 138.6, 137.2, 136.9, 136.5, 134.5, 133.9, 130.1, 129.6, 128.2, 128.1, 128.1, 127.5, 127.2, 122.3, 121.9, 116.8, 64.7, 20.9. **IR (ATR)** ṽ (cm^{-1}): 3744, 1737, 1671, 1588, 1524, 1425, 1233 and 1028. **HRMS (ESI):** calc. for [($C_{21}H_{17}ClN_2O_3$)H] (M+H) 381.1006, measured 381.1013.

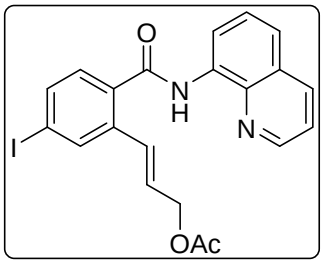
(*E*)- 3-(5-Bromo-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4hl).



Prepared according to **GP 2**; Half white solid; eluent (20% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 37% (48 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.21 (s, 1H), 8.89

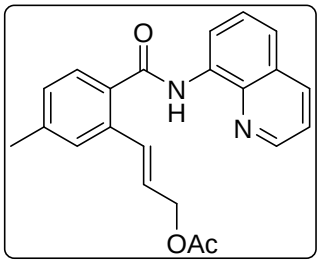
(dd, *J* = 7.2, 1.8 Hz, 1H), 8.76 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.18 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.77 (d, *J* = 1.8 Hz, 1H), 7.70 – 7.51 (m, 4H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.13 (d, *J* = 15.8 Hz, 1H), 6.33 (dt, *J* = 15.8, 6.2 Hz, 1H), 4.70 (dd, *J* = 6.2, 1.4 Hz, 2H), 1.98 (s, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.8, 166.4, 148.5, 138.7, 137.4, 136.5, 134.4, 134.3, 131.1, 130.2, 130.0, 129.7, 128.2, 128.1, 127.5, 125.3, 122.3, 121.9, 116.8, 64.7, 20.9. **IR (ATR)** ṽ (cm^{-1}): 3472, 3332, 1728, 1673, 1523, 1477, 1239 and 958. **HRMS (ESI):** calc. for [($C_{21}H_{17}BrN_2O_3$)H] (M+H) 425.0501, measured 425.0509.

(*E*)- 3-(5-Methoxy-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4il).



Prepared according to **GP 2**; Half white solid; eluent (20% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 33 % (39 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.25 (s, 1H), 8.93 (dd, *J* = 7.2, 1.8 Hz, 1H), 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.22 (dd, *J* = 8.2, 1.8 Hz, 1H), 8.01 (d, *J* = 1.6 Hz, 1H), 7.78 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.69 – 7.55 (m, 2H), 7.54 – 7.42 (m, 2H), 7.13 (d, *J* = 15.8 Hz, 1H), 6.35 (dt, *J* = 15.8, 6.2 Hz, 1H), 4.73 (dd, *J* = 6.2, 1.4 Hz, 2H), 2.01 (s, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.7, 166.4, 148.4, 138.6, 137.2, 137.0, 136.4, 136.1, 134.8, 134.4, 129.8, 129.5, 128.1, 128.0, 127.4, 122.2, 121.8, 116.7, 97.3, 64.6, 20.8. **IR (ATR)** ṽ (cm^{-1}): 3743, 3333, 3061, 1735, 1668, 1521, 1479, 1380, 1324 and 1227.**HRMS (ESI):** calc. for [($C_{21}H_{17}IN_2O_3$)H] (M+H) 473.0362, measured 473.0358.

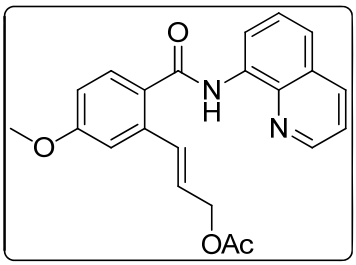
***(E)*-3-(5-Methyl-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4fl).**



Prepared according to **GP 2**; Half white solid; eluent (20% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 32% (42 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.26 (s, 1H), 8.96

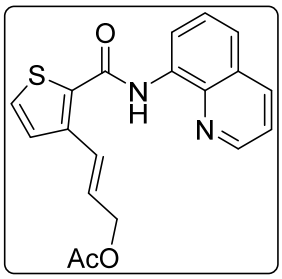
(dd, *J* = 7.4, 1.2 Hz, 1H), 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.70 (d, *J* = 7.8 Hz, 1H), 7.66 – 7.55 (m, 2H), 7.48 (dd, *J* = 8.2, 4.2 Hz, 2H), 7.28 – 7.21 (m, 2H), 6.34 (dt, *J* = 15.8, 6.4 Hz, 1H), 4.74 (dd, *J* = 6.4, 1.2 Hz, 2H), 2.45 (s, 3H), 2.02 (s, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.8, 167.3, 148.3, 140.9, 138.7, 136.3, 135.3, 134.7, 132.8, 131.7, 130.2, 128.8, 128.1, 127.8, 127.4, 126.3, 121.8, 121.6, 116.6, 65.0, 21.4, 20.8. **IR (ATR)** ν̃ (cm^{-1}): 3744, 3342, 3043, 1734, 1688, 1522, 1481, 1425, 1381, 1325 and 1232. **HRMS (ESI):** calc. for [($C_{22}H_{20}N_2O_3$)H] (M+H) 361.1552, measured 361.1559.

(*E*)- 3-(5-Methoxy-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4jl).



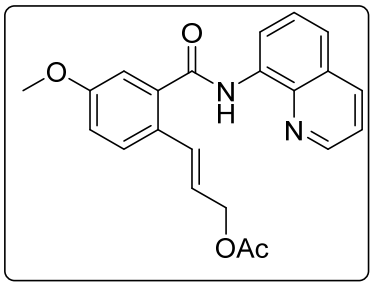
Prepared according to **GP 2**; White solid; eluent (25 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 29% (39 mg, 8% of *Z* isomer was also observed along with major *E* isomer 92%). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.22 (s, 1H), 8.93 – 8.89 (m, 1H), 8.76 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.16 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.75 (d, *J* = 8.6 Hz, 1H), 7.62 – 7.51 (m, 2H), 7.44 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.25 (d, *J* = 15.8 Hz, 1H), 7.09 (d, *J* = 2.6 Hz, 1H), 6.93 (dd, *J* = 8.6, 2.2 Hz, 1H), 6.30 (dt, *J* = 15.8, 6.2 Hz, 1H), 4.72 (dd, *J* = 6.2, 1.2 Hz, 2H), 3.88 (s, 3H), 1.99 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.9, 167.0, 161.4, 148.3, 138.7, 137.6, 136.5, 134.8, 132.3, 131.8, 130.1, 128.1, 127.5, 126.8, 121.7, 116.6, 113.8, 113.7, 112.4, 65.0, 55.5, 20.9. **IR (ATR)** ν̃ (cm^{-1}): 3337, 3058, 1725, 1667, 1595, 1519, 1479, 1379, 1323 and 1222. **HRMS (ESI):** calc. for [($C_{22}H_{20}N_2O_4$)Na] (M+Na) 399.1320, measured 399.1311.

(*E*)-3-(2-(Quinolin-8-ylcarbamoyl)thiophen-3-yl)allyl acetate (4kl).



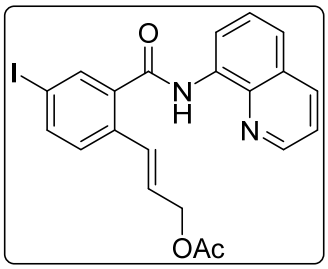
Prepared according to **GP 2**; Half white solid; eluent (20% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 30% (42 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.48 (s, 1H), 8.86 (t, *J* = 6.8 Hz, 2H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.60 – 7.53 (m, 3H), 7.50 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.44 (d, *J* = 5.2 Hz, 1H), 7.33 (d, *J* = 5.2 Hz, 1H), 6.37 (dt, *J* = 15.8, 6.2 Hz, 1H), 4.84 (d, *J* = 6.2 Hz, 2H), 2.10 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.9, 160.7, 148.5, 140.9, 138.7, 136.5, 134.6, 133.4, 128.1, 128.1 (one carbon merged), 127.6, 127.5, 127.1, 121.9, 121.7, 116.7, 65.1, 21.1. **IR (ATR)** ṽ (cm^{-1}): 3743, 3317, 2925, 1734, 1645, 1524, 1480, 1422, 1326 and 1054. **HRMS (ESI):** calc. for [($C_{19}H_{16}N_2O_3S$)H] (M+H) 353.0960, measured 353.0964.

(*E*)-3-(4-Methoxy-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4ll).



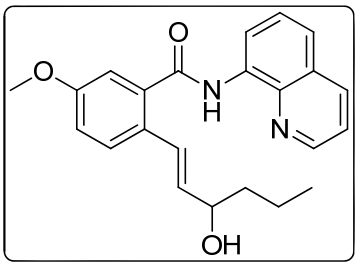
Prepared according to **GP 2**; White solid; eluent (30% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 33% (45 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.24 (s, 1H), 8.96 (dd, *J* = 7.4, 1.6 Hz, 1H), 8.78 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.72 – 7.54 (m, 3H), 7.48 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.36 – 7.22 (m, 1H), 7.13 (d, *J* = 15.8 Hz, 1H), 7.05 (dd, *J* = 8.2, 2.6 Hz, 1H), 6.25 (dt, *J* = 15.8, 6.5 Hz, 1H), 4.70 (dd, *J* = 6.4, 1.2 Hz, 2H), 3.89 (s, 3H), 1.99 (s, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.9, 167.2, 159.4, 148.4, 138.7, 136.9, 136.5, 134.6, 131.2, 128.6, 128.1, 127.6, 127.5, 124.8, 122.2, 121.8, 116.9, 116.8, 112.8, 65.3, 55.6, 20.9. **IR (ATR)** ṽ (cm^{-1}): 3744, 3339, 1733, 1668, 1602, 1519, 1479, 1379 and 1217. **HRMS (ESI):** calc. for [($C_{22}H_{20}N_2O_4$)Na] (M+Na) 399.1320, measured 399.1308.

(*E*)-3-(4-Iodo-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4ml).



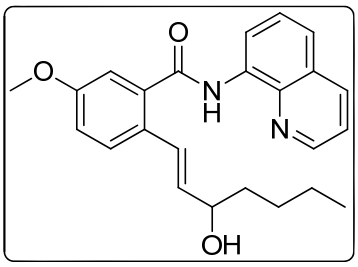
Prepared according to **GP 2**; Half white solid; eluent (25% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 32% (40 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.24 (s, 1H), 8.93 (dd, *J* = 7.2, 1.6 Hz, 1H), 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.21 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.79 (dd, *J* = 8.2, 5.8 Hz, 1H), 7.67 – 7.56 (m, 2H), 7.49 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.34 (dd, *J* = 10.0, 2.6 Hz, 1H), 7.22 (dd, *J* = 15.8, 1.4 Hz, 1H), 7.12 (td, *J* = 8.2, 2.6 Hz, 1H), 6.35 (dt, *J* = 15.8, 6.2 Hz, 1H), 4.74 (dd, *J* = 6.2, 1.4 Hz, 2H), 2.01 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.8, 166.4, 148.4, 138.7, 138.3, 138.2, 136.5, 134.5, 131.8, 130.5, 130.4, 128.1, 127.9, 127.5, 122.2, 121.9, 116.6, 115.3, 115.1, 113.9, 113.8, 64.7, 20.9. **IR (ATR)** ṽ (cm^{-1}): 3744, 3339, 3047, 1739, 1664, 1521, 1369, 1340, 1324 and 1207. **HRMS (ESI):** calc. for $[(C_{21}H_{17}IN_2O_3)H]$ (M+H) 473.0362, measured 473.0360.

(*E*)-2-(3-Hydroxyhex-1-en-1-yl)-5-methoxy-N-(quinolin-8-yl)benzamide (4lm).



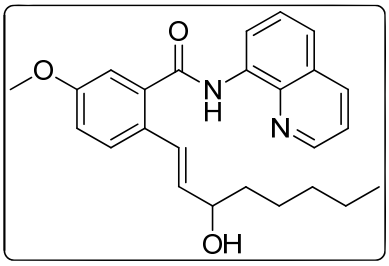
Prepared according to **GP 2**; Thick oil; eluent (35% ethyl acetate in hexanes); **1l** was taken in 100 mg; yield is 40% (54 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.17 (s, 1H), 9.00 (dd, *J* = 7.4, 1.4 Hz, 1H), 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.21 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.67 – 7.57 (m, 2H), 7.54 (d, *J* = 8.6 Hz, 1H), 7.48 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.32 (d, *J* = 2.6 Hz, 1H), 7.08 – 7.05 (m, 1H), 7.05 – 7.02 (m, 1H), 6.21 (dd, *J* = 15.8, 6.8 Hz, 1H), 4.27 (q, *J* = 6.2 Hz, 1H), 3.90 (s, 3H), 1.67 – 1.51 (m, 2H), 1.48 – 1.32 (m, 2H), 0.88 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.2, 159.1, 148.5, 138.7, 136.6, 136.4, 135.3, 134.5, 128.7, 128.1, 128.1, 127.6, 127.5, 122.1, 121.8, 117.3, 117.0, 112.9, 72.8, 55.6, 39.0, 18.7, 13.9. **IR (ATR)** ṽ (cm^{-1}): 3744, 3333, 2933, 2867, 1649, 1593, 1503, 1482, 1323, 1125 and 1026. **HRMS (ESI):** calc. for $[(C_{23}H_{24}N_2O_3)H]$ (M+H) 377.1865 measured 377.1866.

(*E*)-2-(3-Hydroxyhept-1-en-1-yl)-5-methoxy-N-(quinolin-8-yl)benzamide (4ln).



Prepared according to **GP 2**; Thick oil; eluent (30% ethyl acetate in hexanes); **1l** was taken in 100 mg; yield is 42% (59 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.18 (s, 1H), 9.00 (dd, *J* = 7.4, 1.4 Hz, 1H), 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.21 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.67 – 7.57 (m, 2H), 7.54 (d, *J* = 8.6 Hz, 1H), 7.48 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.32 (d, *J* = 2.8 Hz, 1H), 7.09 – 7.02 (m, 2H), 6.22 (dd, *J* = 15.8, 6.8 Hz, 1H), 4.26 (q, *J* = 6.2 Hz, 1H), 3.90 (s, 3H), 1.65 – 1.55 (m, 2H), 1.35 – 1.25 (m, 4H), 0.86 (t, *J* = 7.2 Hz, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.2, 159.1, 148.5, 138.7, 136.6, 136.4, 135.3, 134.5, 128.7, 128.1, 128.1, 127.6, 127.5, 122.1, 121.8, 117.2, 117.0, 112.9, 73.0, 55.6, 36.6, 27.6, 22.6, 13.9. **IR (ATR)** ṽ (cm^{-1}): 3743, 3339, 2929, 2860, 1655, 1603, 1522, 1482, 1323, 1036 and 969. **HRMS (ESI):** calc. for [($C_{24}H_{26}N_2O_3$)H] (M+H) 391.2022, measured 391.2023.

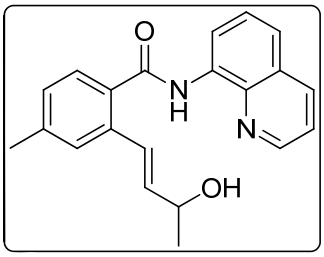
(*E*)-2-(3-Hydroxyoct-1-en-1-yl)-5-methoxy-*N*-(quinolin-8-yl)benzamide (4lo).



Prepared according to **GP 2**; Thick oil; eluent (30% ethyl acetate in hexanes); **1l** was taken in 100 mg; yield is 43% (62 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.18 (s, 1H), 9.00 (d, *J* = 7.4 Hz, 1H), 8.79 (d, *J* = 4.2 Hz, 1H), 8.21 (d, *J* = 8.2 Hz, 1H), 7.68 – 7.57 (m, 2H), 7.54 (d, *J* = 8.6 Hz, 1H), 7.49 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.32 (d, *J* = 2.0 Hz, 1H), 7.06 (dd, *J* = 8.6, 6.2 Hz, 2H), 6.22 (dd, *J* = 15.8, 6.9 Hz, 1H), 4.26 (q, *J* = 6.6 Hz, 1H), 3.90 (s, 3H), 1.66 – 1.52 (m, 2H), 1.48 – 1.22 (m, 6H), 3.90 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.2, 159.1, 148.5, 138.7, 136.6, 136.4, 135.4, 134.5, 128.7, 128.1, 128.1, 127.6, 127.5, 122.1, 121.8, 117.2, 117.0, 112.9, 73.0, 55.8, 36.9, 31.8, 25.1, 22.5, 14.0. **IR (ATR)** ṽ (cm^{-1}): 3744, 3343, 2932,

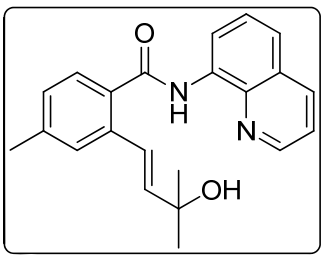
2861, 1675, 1643, 1532, 1492, 1323, 1136 and 1021. **HRMS (ESI):** calc. for $[(C_{25}H_{28}N_2O_3)H]$ (M+H) 405.2178, measured 405.2171.

(*E*)-2-(3-Hydroxybut-1-en-1-yl)-4-methyl-*N*-(quinolin-8-yl)benzamide (4fq).



Prepared according to **GP 2**; Half white solid; eluent (30% ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 27% (34 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.18 (s, 1H), 8.99 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.79 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.72 (d, *J* = 7.8 Hz, 1H), 7.64 – 7.53 (m, 2H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.41 (s, 1H), 7.22 (dd, *J* = 8.0, 1.0 Hz, 1H), 7.15 (d, *J* = 15.8 Hz, 1H), 6.33 (dd, *J* = 15.8, 6.4 Hz, 1H), 4.51 (pd, *J* = 6.4, 1.1 Hz, 1H), 2.44 (s, 3H), 1.36 (d, *J* = 6.4 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.5, 148.4, 141.0, 138.7, 137.6, 136.6, 135.8, 134.7, 132.6, 128.6, 128.5, 128.1, 127.9, 127.5, 127.5, 121.9, 121.7, 116.9, 68.8, 22.8, 21.4. **IR (ATR)** ṽ (cm^{-1}): 3603, 3333, 2984, 1660, 1617, 1521, 1479, 1379, 1319, 1239 and 1205. **HRMS (ESI):** calc. for $[(C_{21}H_{20}N_2O_2)H]$ (M+H) 333.1603, measured 333.1600.

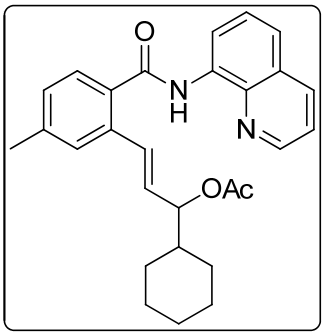
(*E*)-2-(3-Hydroxy-3-methylbut-1-en-1-yl)-4-methyl-*N*-(quinolin-8-yl)benzamide (4fr).



Prepared according to **GP 2**; White solid; eluent (35 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 21% (28 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.09 (s, 1H), 8.99 (d, *J* = 7.2 Hz, 1H), 8.75 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.17 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.71 (d, *J* = 7.8 Hz, 1H), 7.59 (t, *J* = 8.0 Hz, 1H), 7.53 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.44 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.36 (s, 1H), 7.16 (d, *J* = 15.8 Hz, 2H), 6.40 (d, *J* = 15.8 Hz, 1H), 2.41 (s, 3H), 1.37 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 167.5, 148.5, 141.8, 141.0, 138.7, 136.7, 135.8, 134.7, 132.6, 130.1, 128.9, 128.4, 128.2, 127.8, 127.5, 124.6, 121.9, 121.7, 117.1, 70.9, 29.6, 21.4.

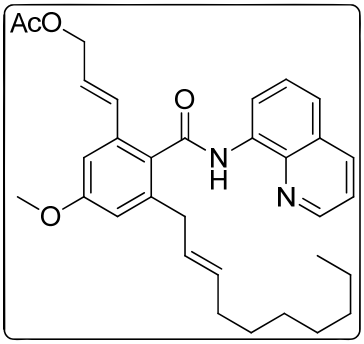
IR (ATR) ṽ (cm^{-1}): 3743, 3343, 2970, 1663, 1605, 1524, 1482, 1383, 1325, 1243 and 1142. **HRMS (ESI):** calc. for [($C_{22}H_{22}N_2O_2$)H] (M+H) 347.1760, measured 347.1756.

(*E*)-1-Cyclohexyl-3-(5-methyl-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (4fp).



Prepared according to **GP 2**; White solid; eluent (25 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 33 % (56 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 10.12 (s, 1H), 8.96 (d, *J* = 7.2 Hz, 1H), 8.77 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.20 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.63 (dt, *J* = 7.8, 4.2 Hz, 2H), 7.60 – 7.54 (m, 1H), 7.47 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.43 (s, 1H), 7.22 (d, *J* = 8.0 Hz, 1H), 7.07 (d, *J* = 15.8 Hz, 1H), 6.19 (dd, *J* = 15.8, 7.2 Hz, 1H), 5.21 (t, *J* = 6.8 Hz, 1H), 2.45 (s, 3H), 1.89 (s, 3H), 1.70 (t, *J* = 10.4 Hz, 4H), 1.19 – 1.03 (m, 4H), 1.04 – 0.89 (m, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** δ 170.1, 167.7, 148.3, 140.5, 138.6, 136.3, 135.1, 134.7, 133.3, 130.1, 129.6, 128.6, 128.0, 127.6, 127.4, 121.7, 121.6, 116.6, 78.4, 42.0, 28.6, 28.5, 26.2, 25.8, 21.4, 20.9. **IR (ATR)** ṽ (cm^{-1}): 3744, 3346, 2926, 1732, 1671, 1520, 1480, 1376, 1325 and 1233. **HRMS (ESI):** calc. for [($C_{28}H_{30}N_2O_3$)H] (M+H) 443.2335, measured 443.2345.

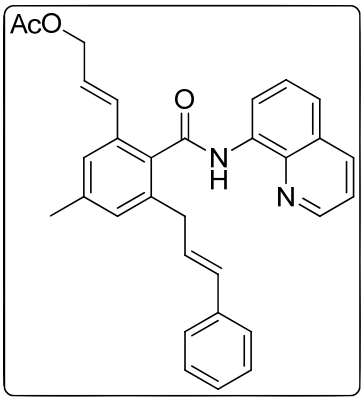
(*E*)-3-(3-((*E*)-Dec-2-en-1-yl)-5-methoxy-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (5a).



Prepared according to **GP 1**; Colourless thick oil; eluent (25 % ethyl acetate in hexanes); **3jl** was taken in 50 mg; yield is 52% (35 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.92 (s, 1H), 8.99

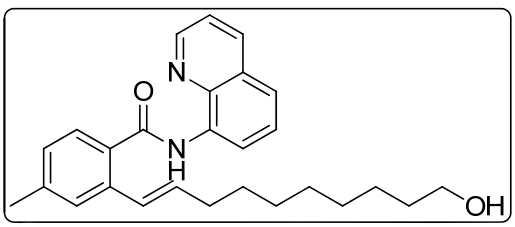
(d, *J* = 7.4 Hz, 1H), 8.74 (d, *J* = 4.0 Hz, 1H), 8.20 (d, *J* = 8.2 Hz, 1H), 7.69 – 7.55 (m, 2H), 7.46 (dd, *J* = 8.2, 4.2 Hz, 1H), 6.99 (s, 1H), 6.86 (d, *J* = 15.8 Hz, 1H), 6.81 (s, 1H), 6.32 (dt, *J* = 15.8, 6.2 Hz, 1H), 5.64 – 5.49 (m, 1H), 5.50 – 5.36 (m, 1H), 4.61 (d, *J* = 6.2 Hz, 2H), 3.89 (s, 3H), 3.46 (d, *J* = 6.6 Hz, 2H), 1.91 (s, 3H), 1.89 – 1.78 (m, 2H), 1.36 – 1.09 (m, 10H), 0.87 (t, *J* = 7.2 Hz, 3H).**^{13}C NMR (100 MHz, $CDCl_3$):** 170.6, 167.9, 160.1, 148.2, 140.4, 138.5, 136.3, 135.5, 134.4, 132.9, 130.9, 129.8, 128.0, 127.6, 127.4, 126.2, 121.9, 121.6, 116.7, 115.3, 108.5, 64.7, 55.4, 36.7, 32.4, 31.8, 29.2, 29.1, 29.1, 22.6, 20.7, 14.1. **HRMS (ESI):** calc. for [($C_{32}H_{38}N_2O_4$)H] (M+H) 515.2910, measured 515.2917.

(*E*)-3-(3-Cinnamyl-5-methoxy-2-(quinolin-8-ylcarbamoyl)phenyl)allyl acetate (5b).



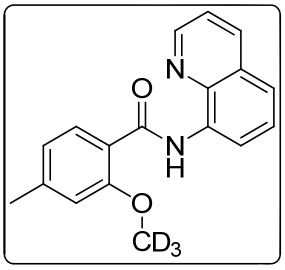
Prepared according to **GP 1**; Colourless thick oil; eluent (25 % ethyl acetate in hexanes); **4fl** was taken in 50 mg; yield is 63% (42 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.98 (s, 1H), 9.01 (dd, *J* = 7.6, 1.4 Hz, 1H), 8.51 (dd, *J* = 4.2, 1.8 Hz, 1H), 8.15 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.66 – 7.60 (m, 1H), 7.57 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.40 – 7.34 (m, 2H), 7.16 – 7.09 (m, 6H), 6.87 (d, *J* = 15.8 Hz, 1H), 6.43 – 6.29 (m, 3H), 4.63 (dd, *J* = 6.4, 1.2 Hz, 2H), 3.65 (d, *J* = 5.6 Hz, 2H), 2.42 (s, 3H), 1.94 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 170.2, 167.2, 148.4, 148.3, 145.2, 139.4, 138.7, 138.4, 137.3, 137.2, 136.2, 134.3, 131.4, 131.0, 130.4, 128.5, 128.3, 127.4, 126.1, 126.0, 124.7, 122.2, 121.7, 116.8, 113.0, 65.0, 37.3, 37.1, 21.5. **HRMS (ESI):** calc. for [($C_{31}H_{28}N_2O_3$)H] (M+H) 477.2178, measured 477.2178.

(*E*)-2-(10-Hydroxydec-1-en-1-yl)-4-methyl-*N*-(quinolin-8-yl)benzamide (6).



Prepared according to **GP 3**; Colourless thick oil; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 75 mg; yield is 76% (57 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 9.95 (s, 1H), 9.04 (dd, *J* = 7.4, 1.3 Hz, 1H), 8.74 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.19 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.69 – 7.56 (m, 2H), 7.51 – 7.41 (m, 2H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.16 (d, *J* = 7.5 Hz, 1H), 6.58 (d, *J* = 15.6 Hz, 1H), 6.25 (dt, *J* = 15.6, 7.2 Hz, 1H), 4.03 (t, *J* = 6.8 Hz, 2H), 2.45 (s, 3H), 2.10 (dd, *J* = 14.8, 7.8 Hz, 2H), 2.06 (s, 3H), 1.60 – 1.51 (m, 2H), 1.38 – 1.16 (m, 8H). **^{13}C NMR (100 MHz, $CDCl_3$):** 171.2, 168.6, 148.2, 138.5, 136.3, 135.3, 134.9, 134.5, 134.2, 129.1, 128.7, 128.0, 127.4, 126.8, 123.2, 121.9, 121.7, 116.8, 64.6, 33.1, 29.2, 29.0, 28.8, 28.5, 25.8, 21.0, 19.4. **IR (ATR)** ν̃ (cm^{-1}): 3343, 3041, 2847, 1729, 1645, 1504, 1454, 1368, 1329 and 1016. **HRMS (ESI):** calc. for [($C_{27}H_{32}N_2O_2$)H] (M+H) 417.2542, measured 417.2546.

2-Methoxy-4-methyl-*N*-(quinolin-8-yl)benzamide (10).



Prepared according to **GP 4**; white solid; eluent (15 % ethyl acetate in hexanes); **1a** was taken in 100 mg; yield is 65 % (73 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 12.32 (s, 1H), 9.03 (dd, *J* = 7.6, 1.2 Hz, 1H), 8.86 (dd, *J* = 6.0, 1.6 Hz, 1H), 8.23 (d, *J* = 8.0 Hz, 1H), 8.15 (dd, *J* = 8.2, 1.6 Hz, 1H), 7.57 (t, *J* = 8.0 Hz, 1H), 7.49 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.44 (dd, *J* = 8.2, 4.2 Hz, 1H), 6.94 (dd, *J* = 8.0, 0.8 Hz, 1H), 6.86 (s, 1H), 2.42 (s, 3H). **^{13}C NMR (100 MHz, $CDCl_3$):** 163.8, 157.7, 148.2, 144.1, 139.3, 136.3, 135.9, 132.4, 128.1, 127.6, 122.2, 121.4, 121.3, 119.7, 117.3, 112.3, 26.9, 21.8. **HRMS (ESI):** calc. for $C_{18}H_{13}D_3N_2O_2$ (M+H) 296.1478, measured 296.1483.

NOESY Studies

Copy of NOESY Experiment of Compound **3ad**

There is a NOE correlation between Ha 10.01 (s, 1H) and benzylic CH_2 Hb 3.68 (d, J = 6.0 Hz, 2H) (Fig. 1). In the meantime, there is a correlation between Hb 3.68 (d, J = 6.0 Hz, 2H) and Hc (7.20 (d, J = 7.5 Hz, 1H) (Fig 2). But, no correlation between Hb 3.68 (d, J = 6.0 Hz, 2H) and Hd 7.16 – 7.09 (m, 5H). These results clearly revealed that the regiochemistry of compound **3pn** is correct.

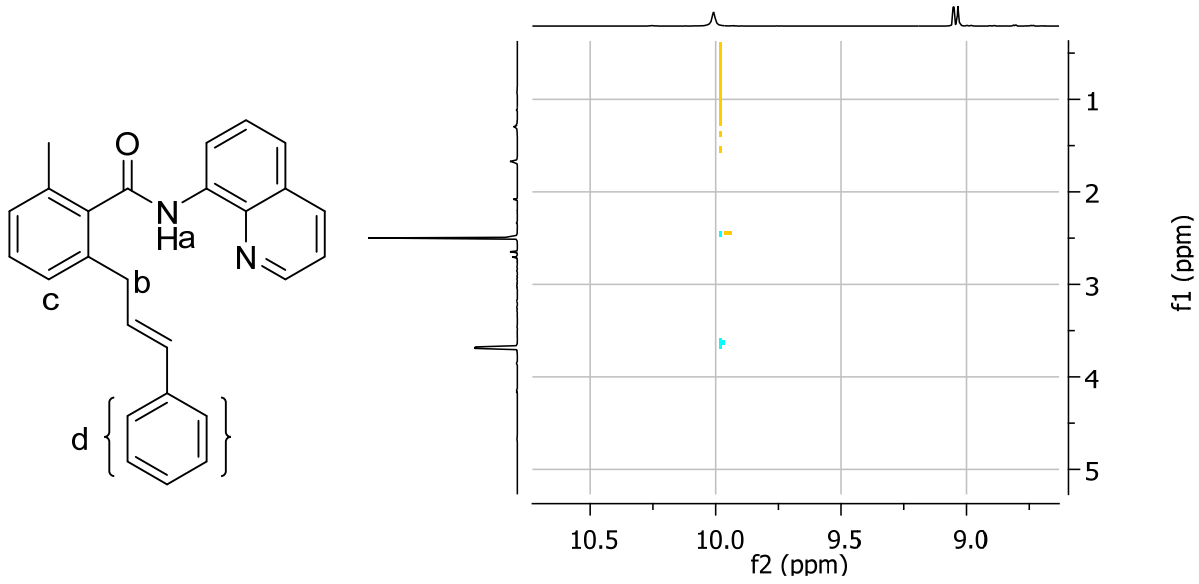


Figure 1

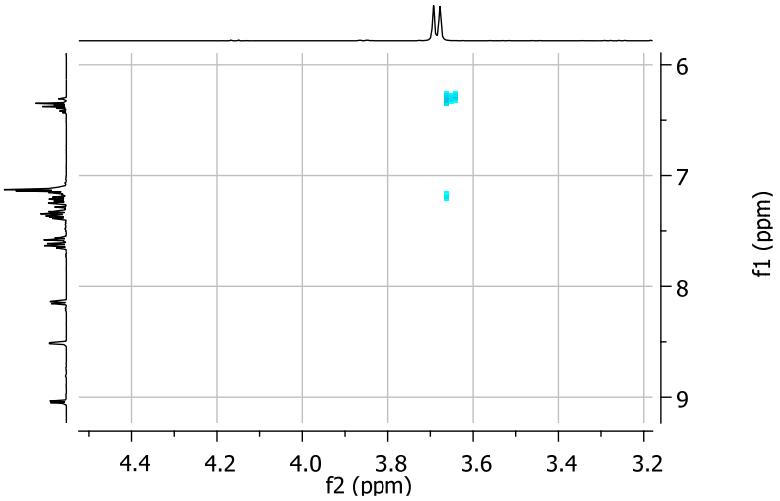


Figure 2

Full 2D-NOSY spectrum of compound **3pn**

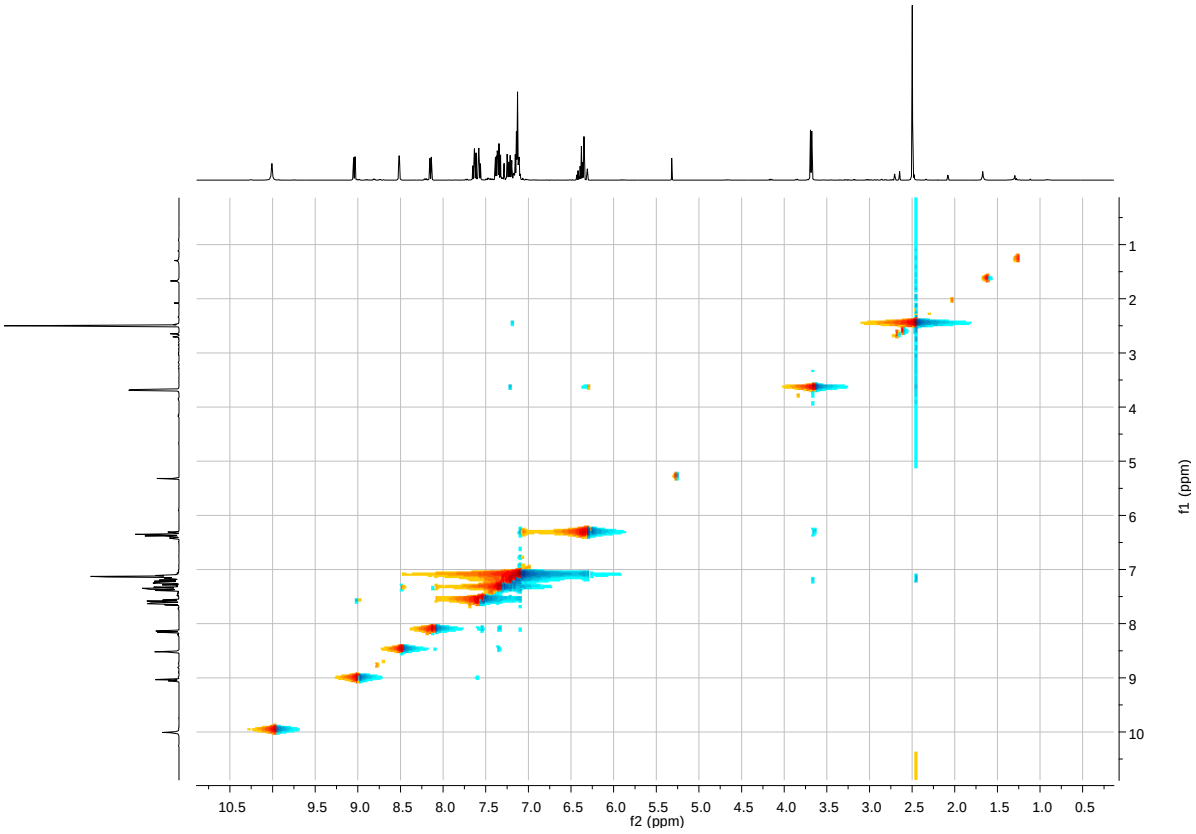


Figure 3

Copy of NOESY Experiment of Compound **3ah**.

There is a NOE correlation between Ha (9.95, s) and benzylic CH_2 Hb (3.47 (d, J = 6.6 Hz, 2H) (Fig. 4). In the meantime, there is a correlation between Hc 7.16 (dd, J = 7.6, 4.2 Hz, 1H) and Hb (3.47 (d, J = 6.6 Hz, 2H) (Fig 5). These results clearly revealed that the regiochemistry of compound **3ah** is correct.

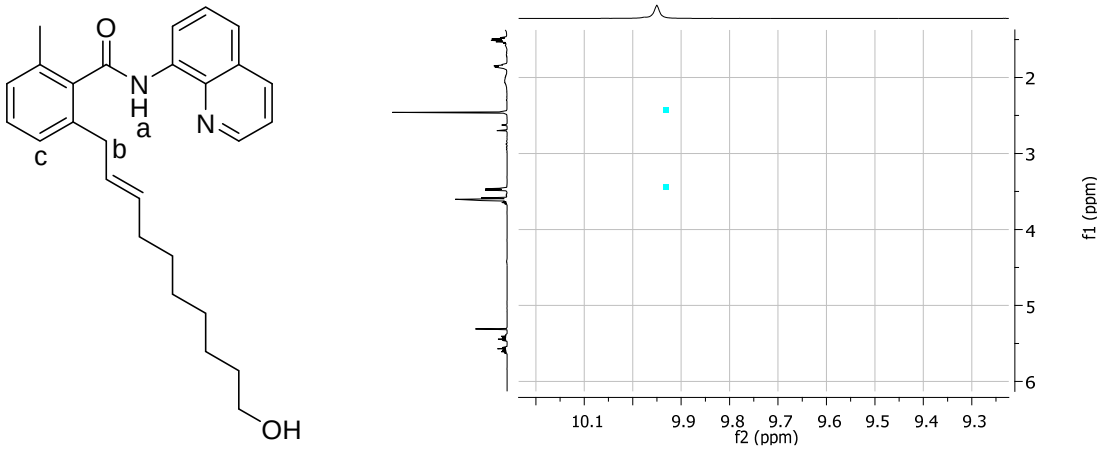


Figure 4

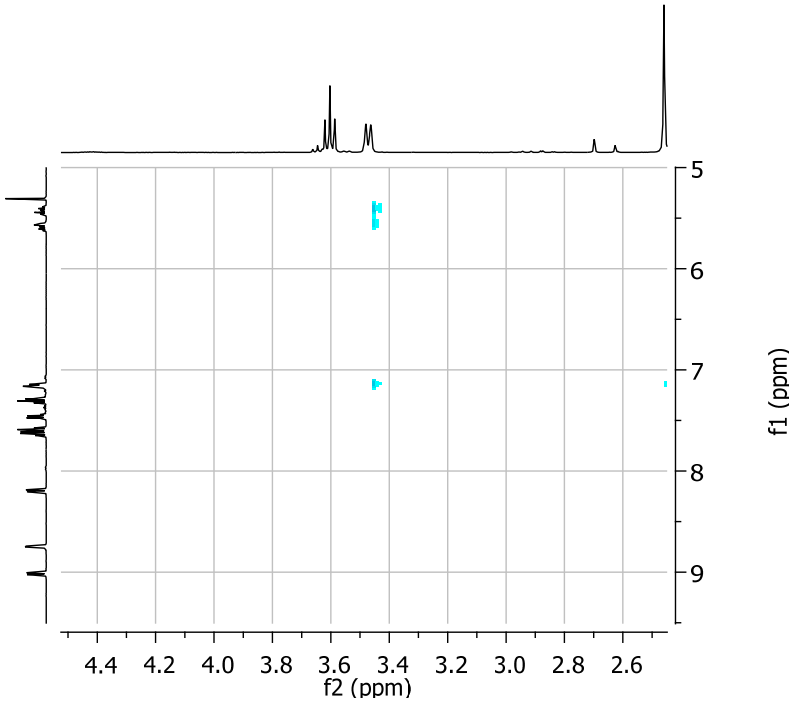


Figure 5

Full 2D-NOSY spectrum of compound **3ah**

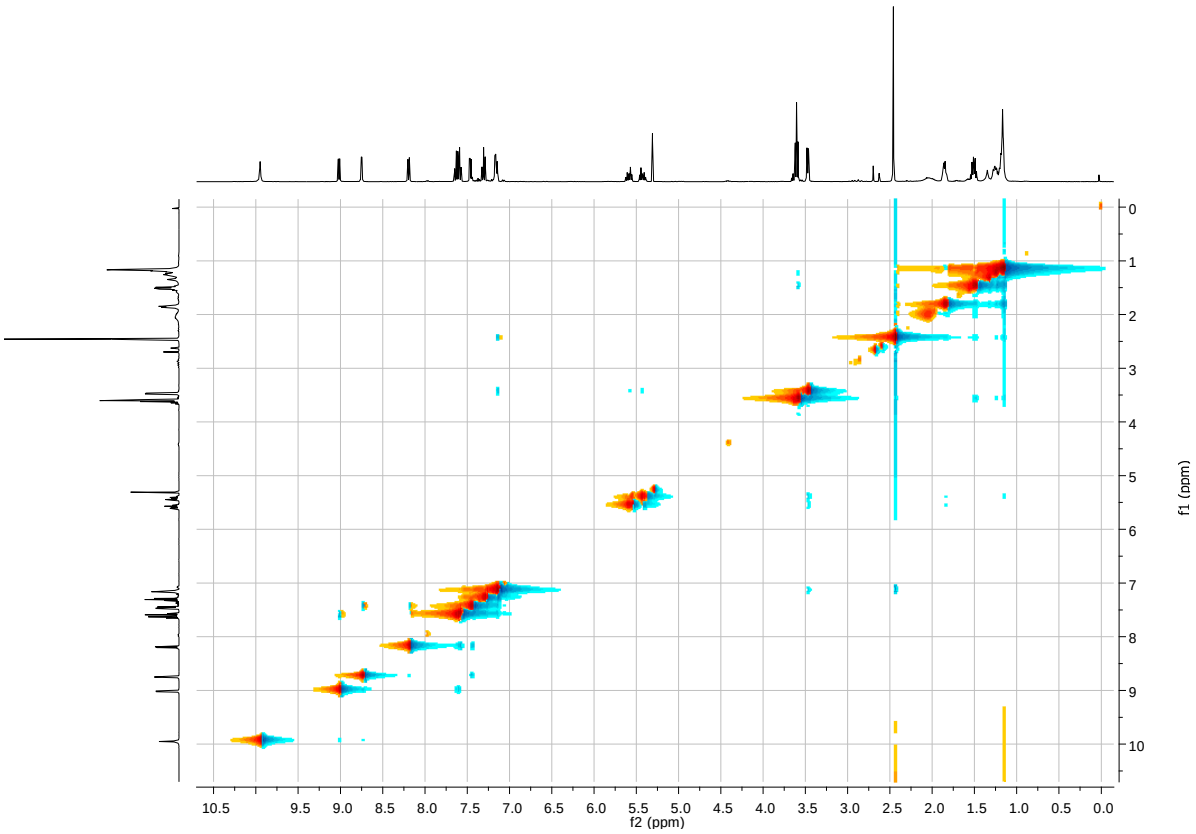
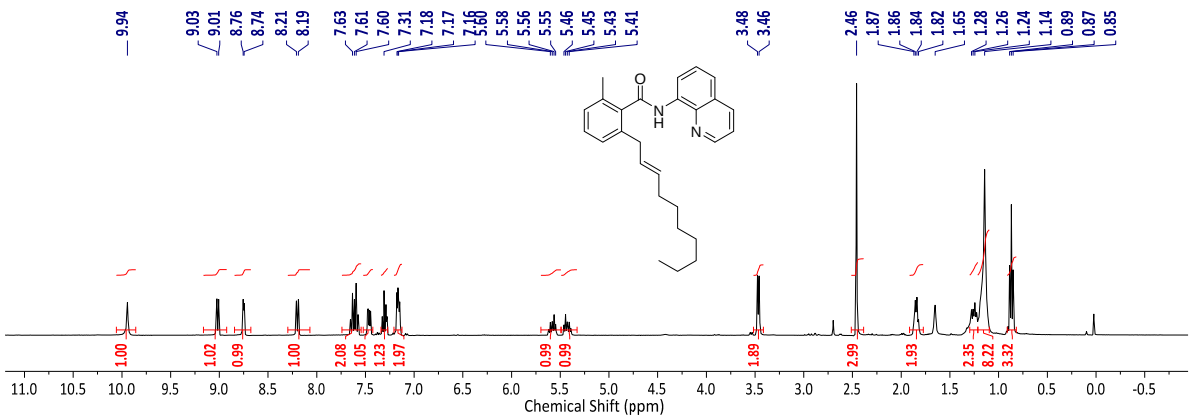
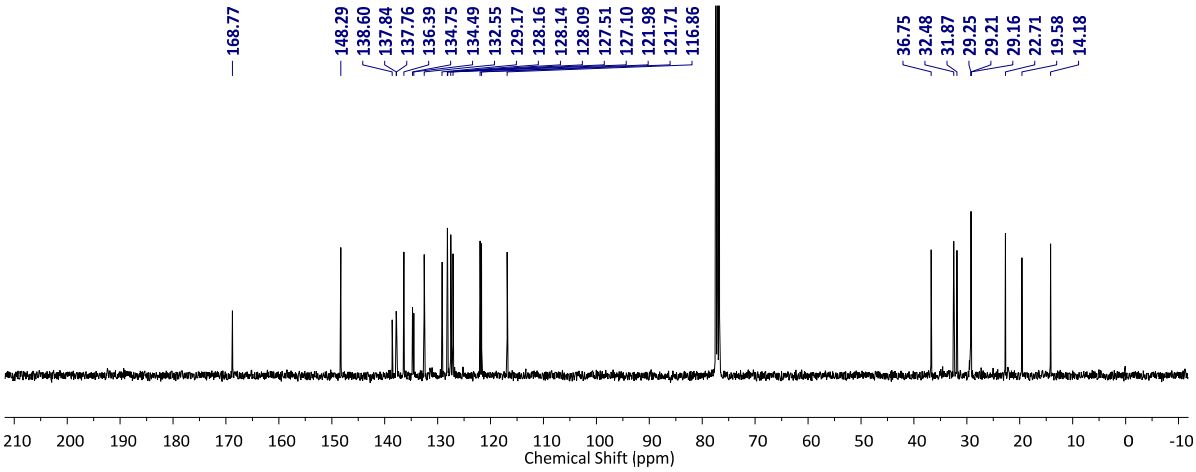


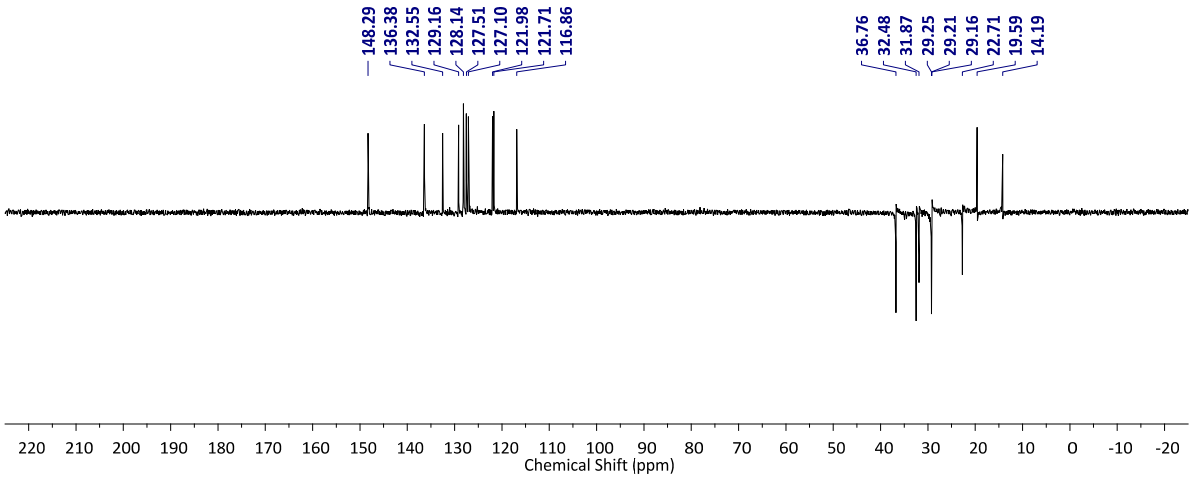
Figure 6

Copies of ^{1}H and ^{13}C NMR Spectra

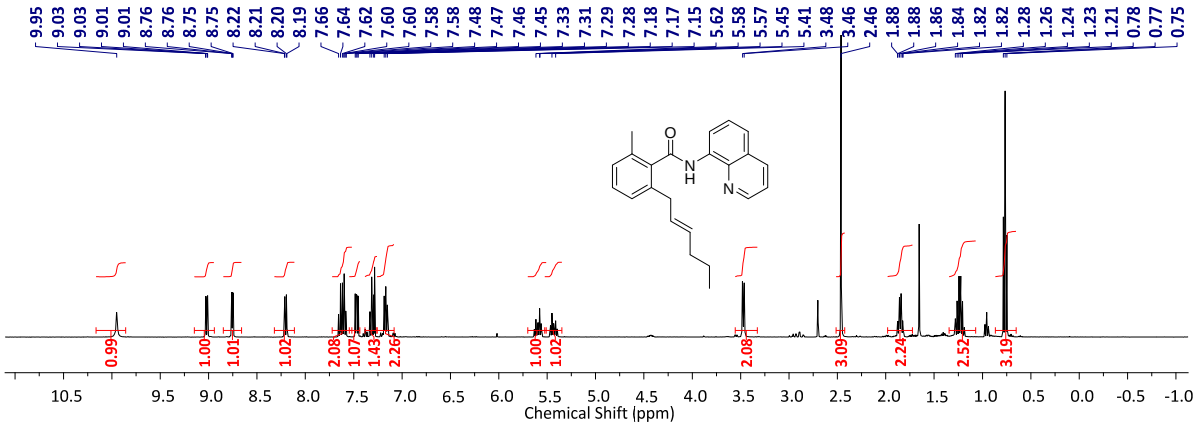
Copies of H^{1}, C^{13} and DEPT 135 NMR spectra of compound **3aa**

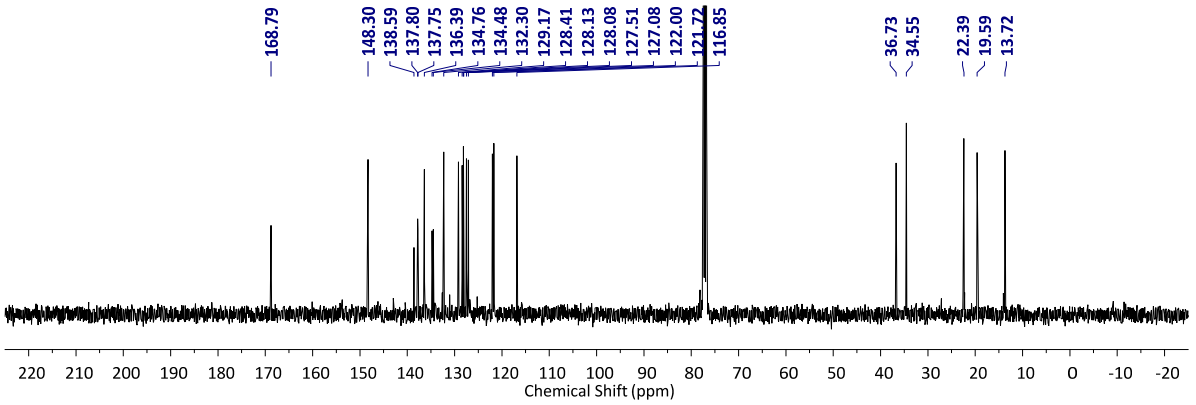


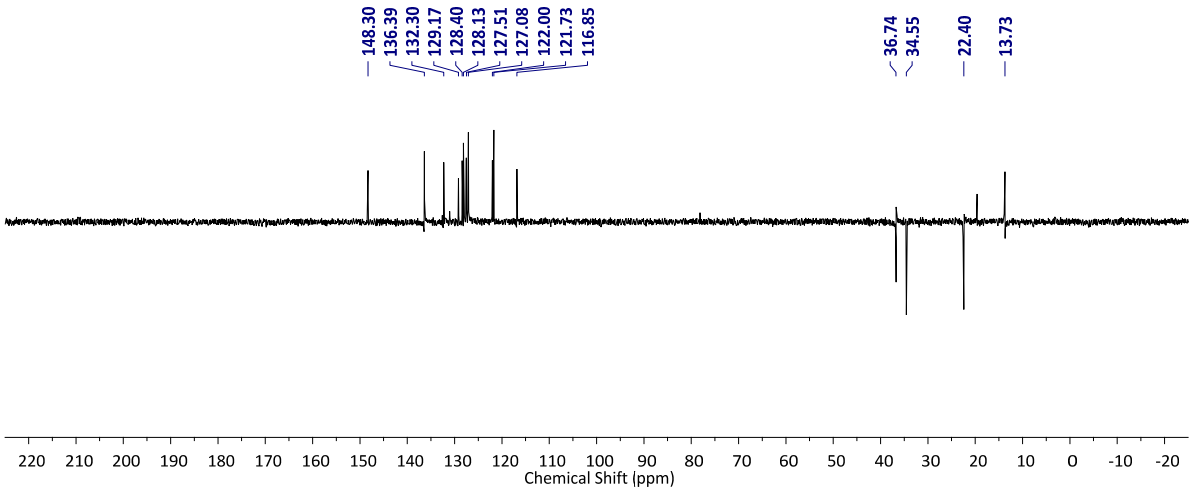




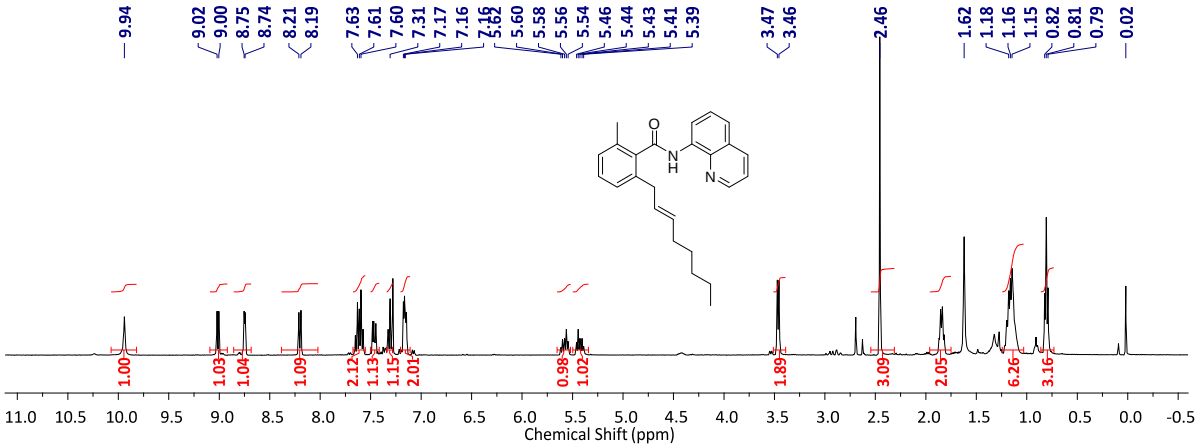
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ab**.

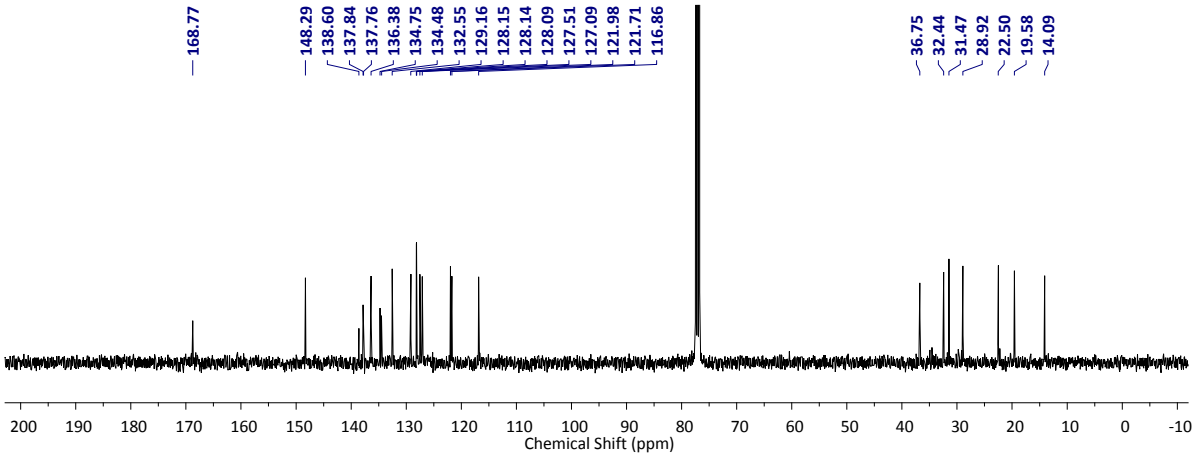


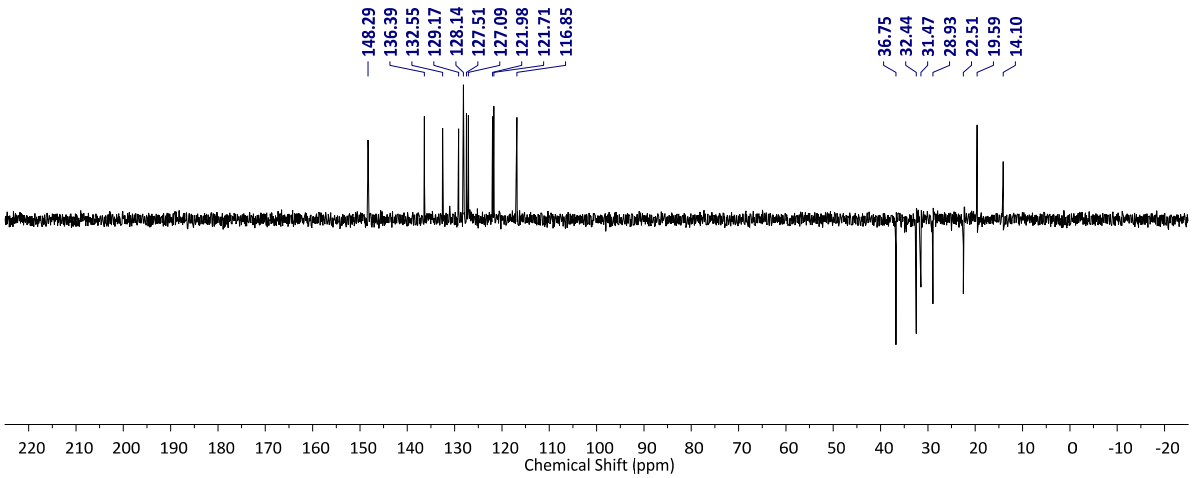




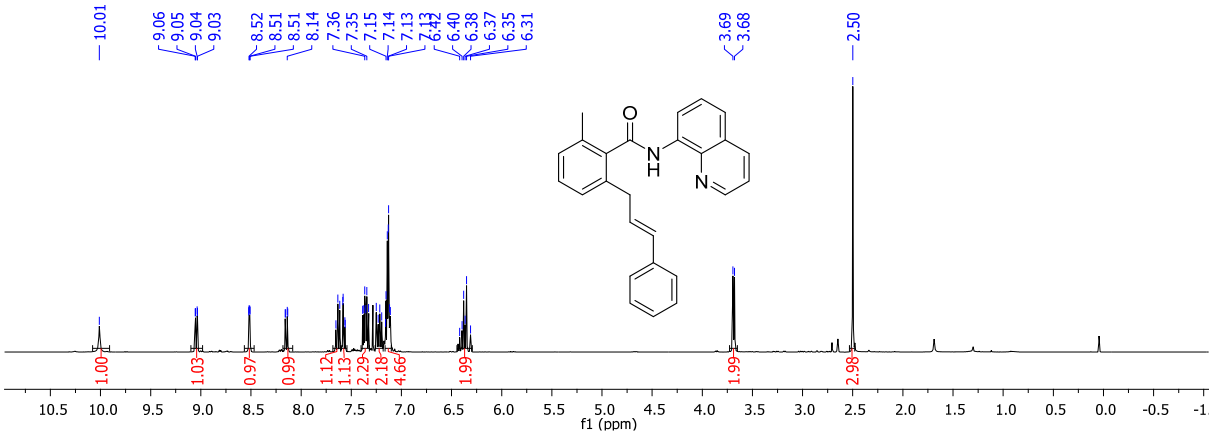
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ac**.

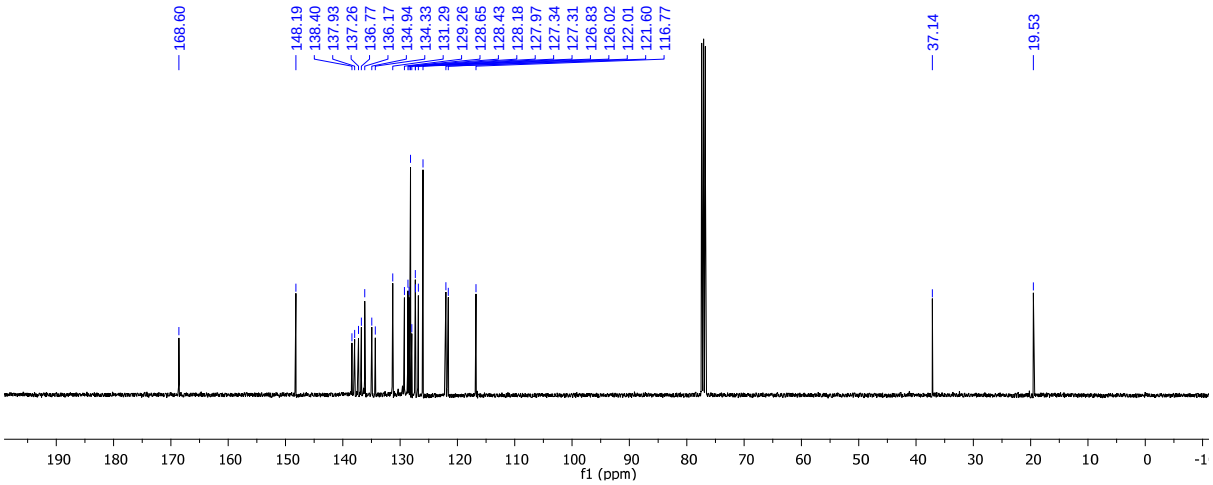


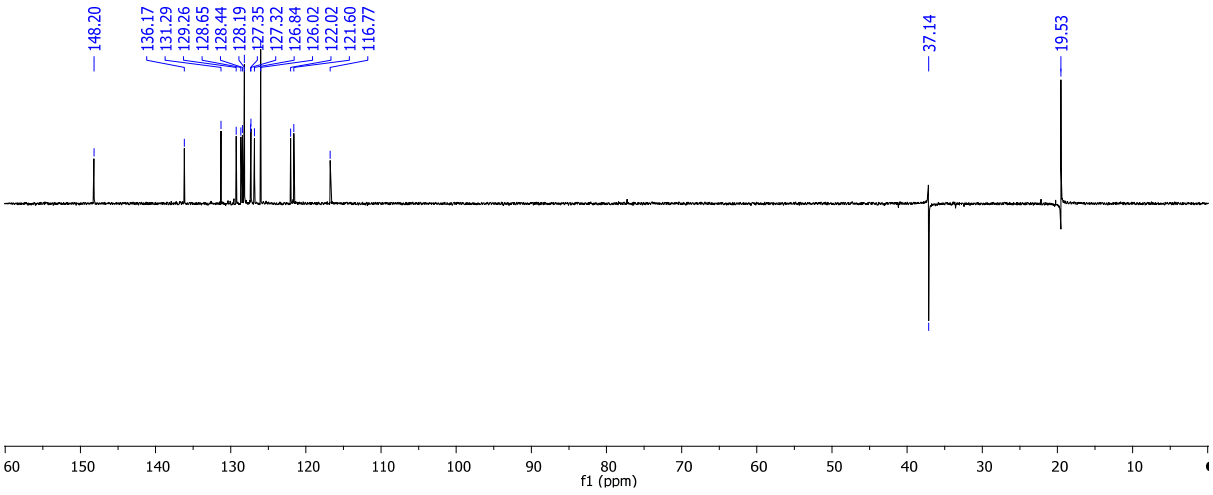




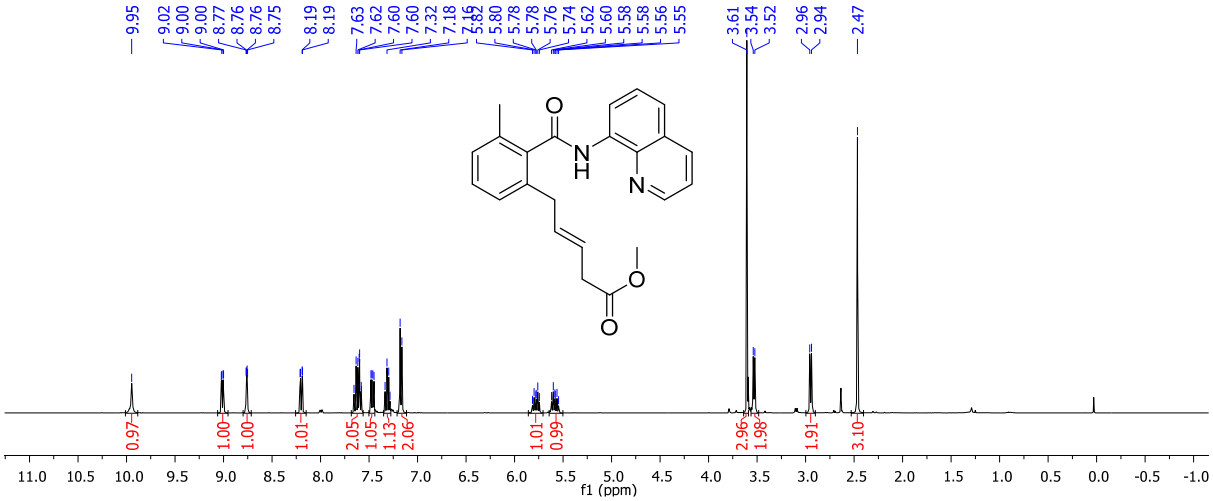
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ad**.

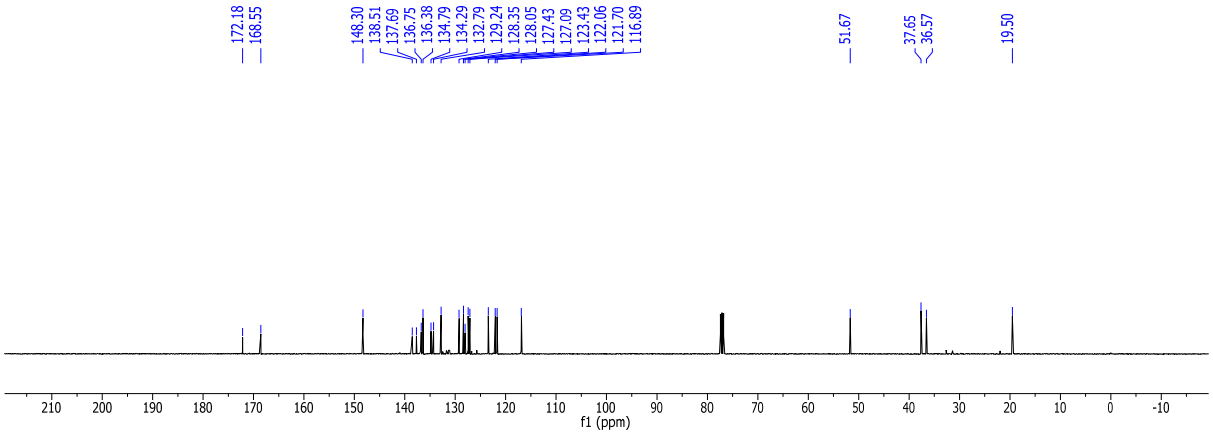


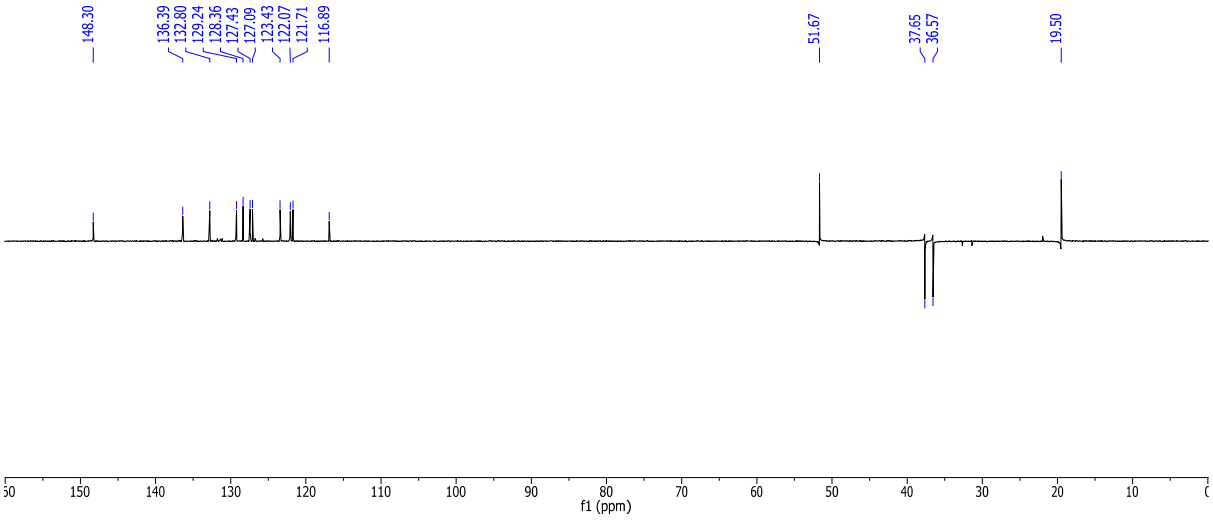




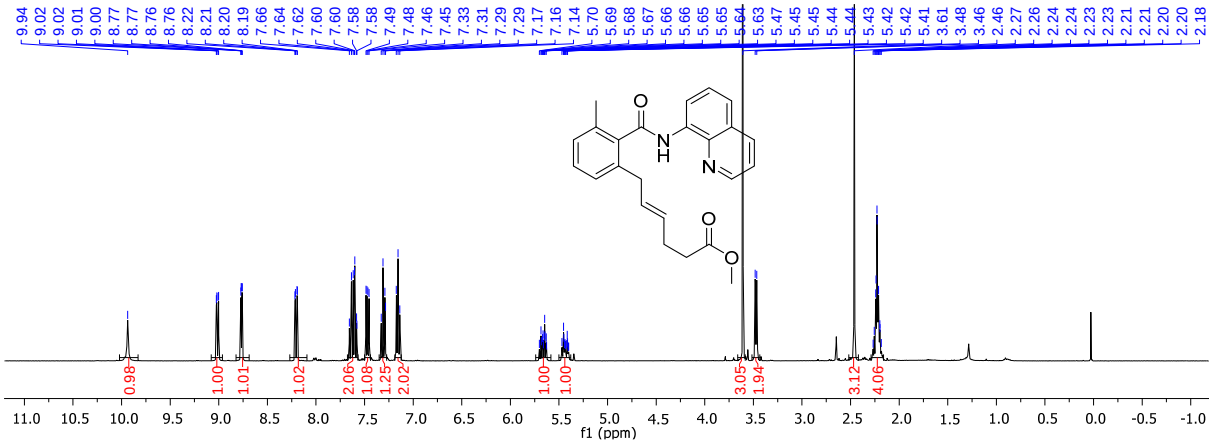
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ae**.

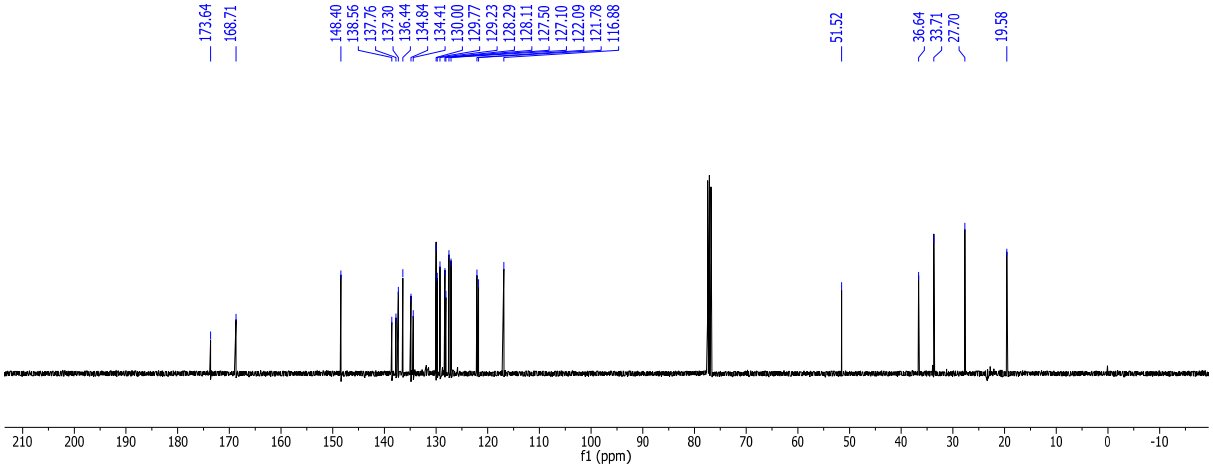


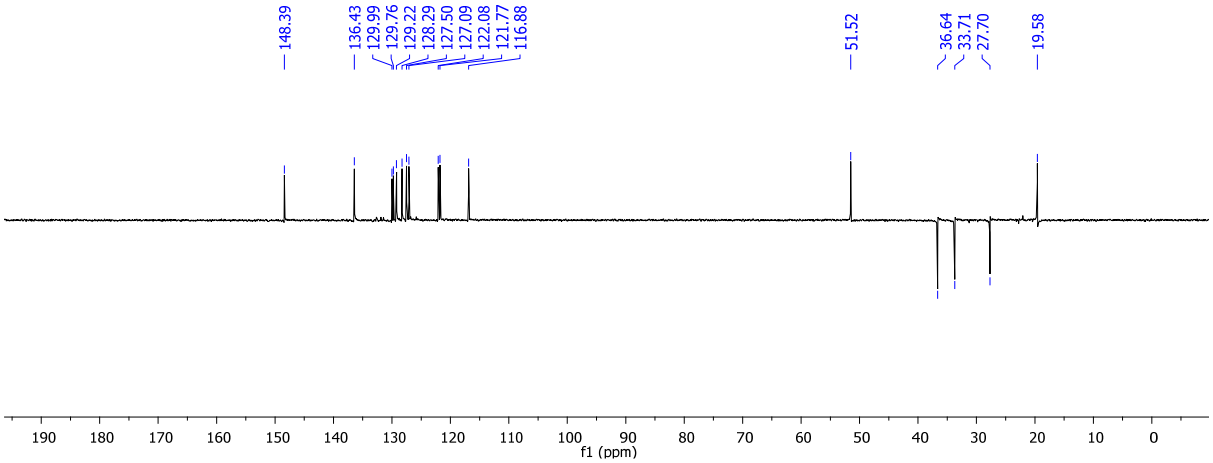




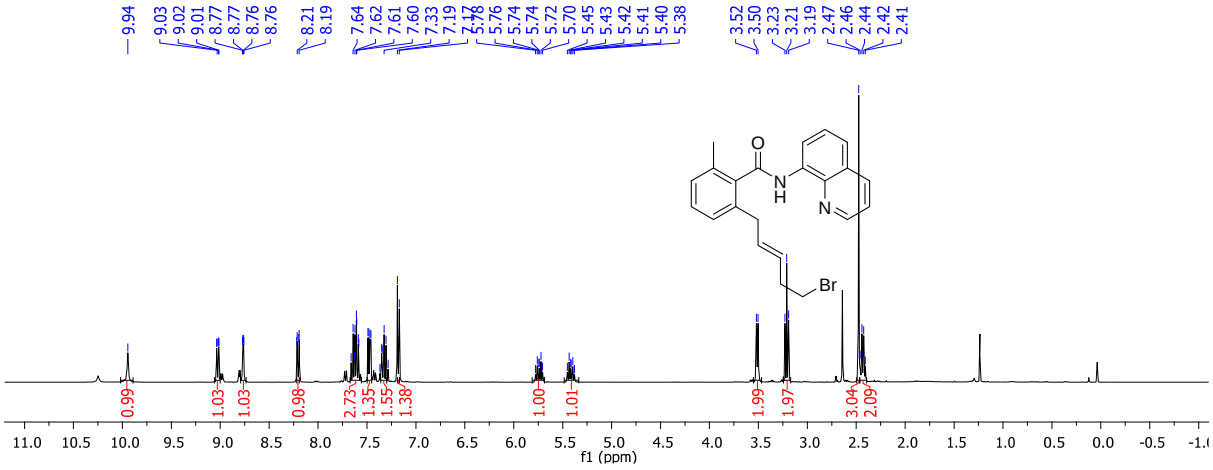
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3af**.

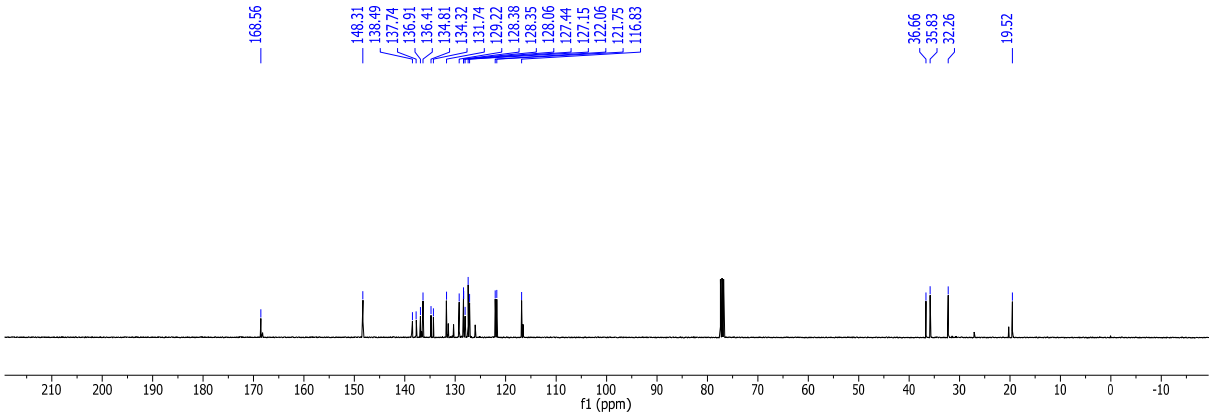


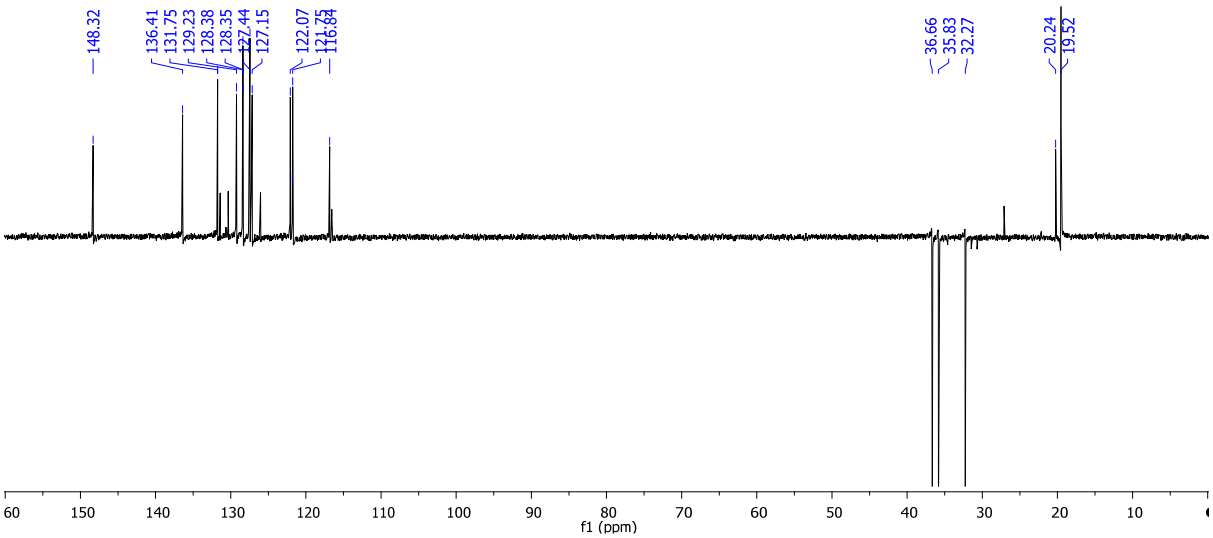




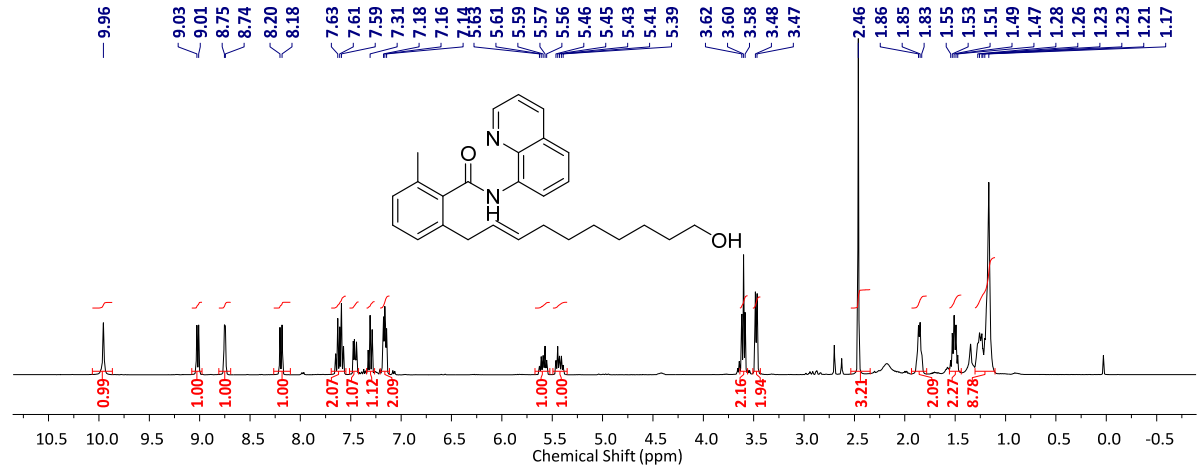
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ag**.

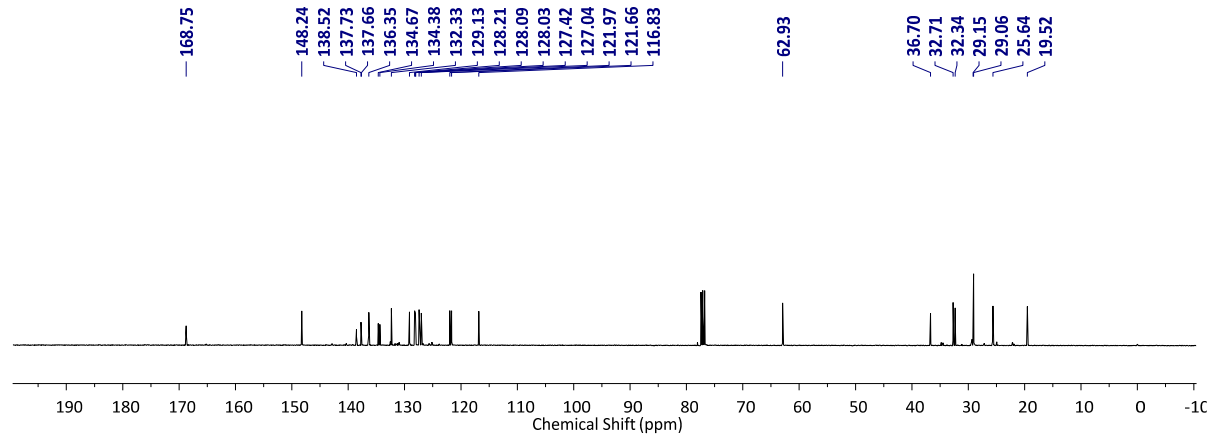


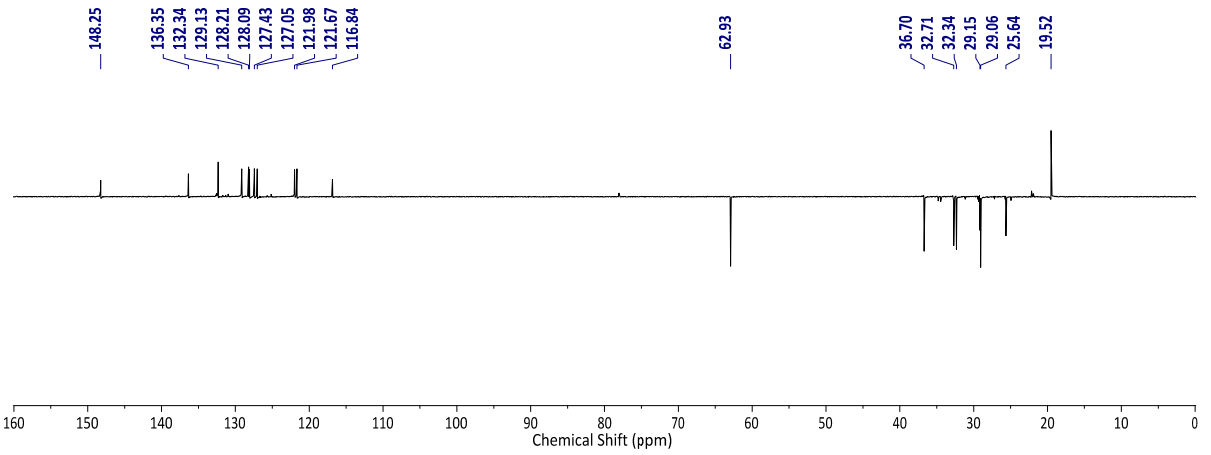




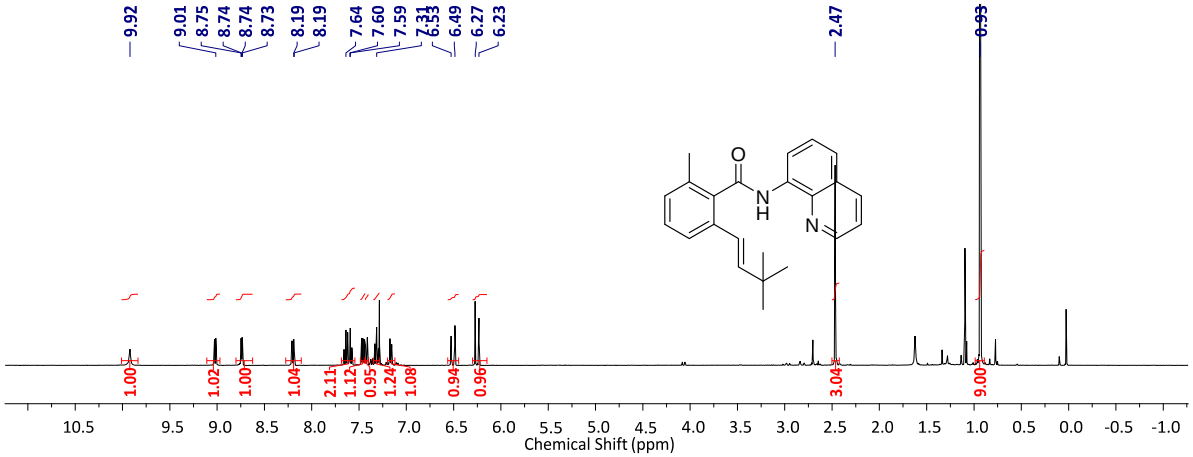
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ah**.

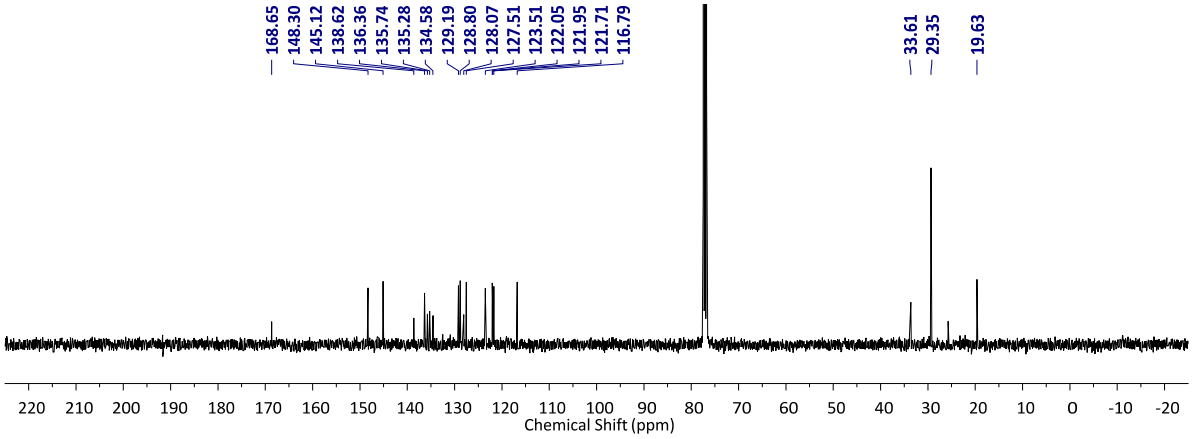


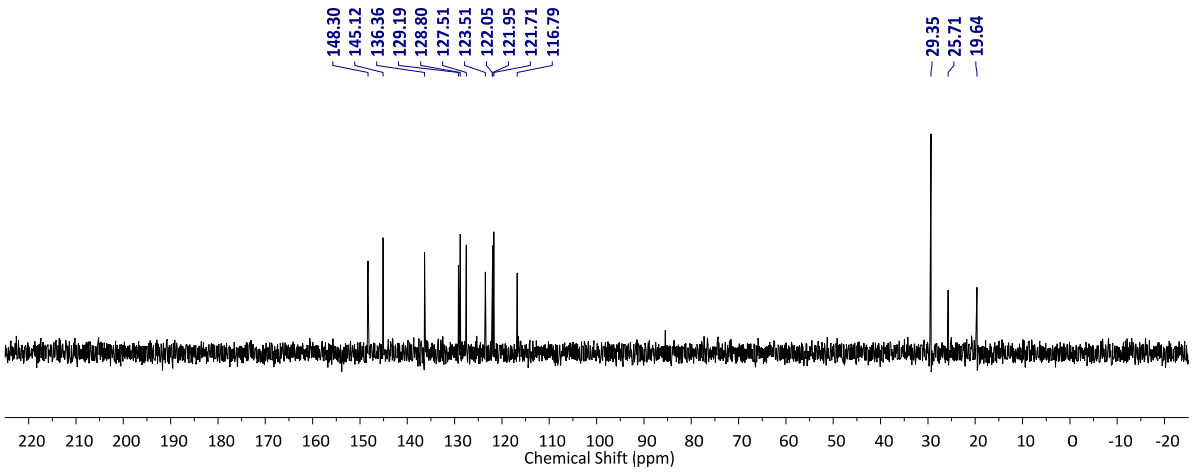




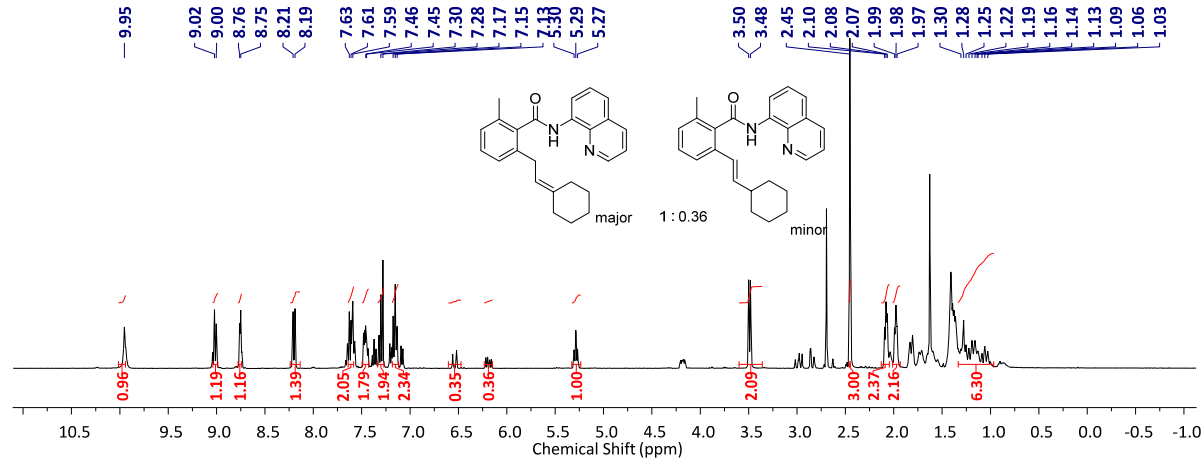
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ai**.

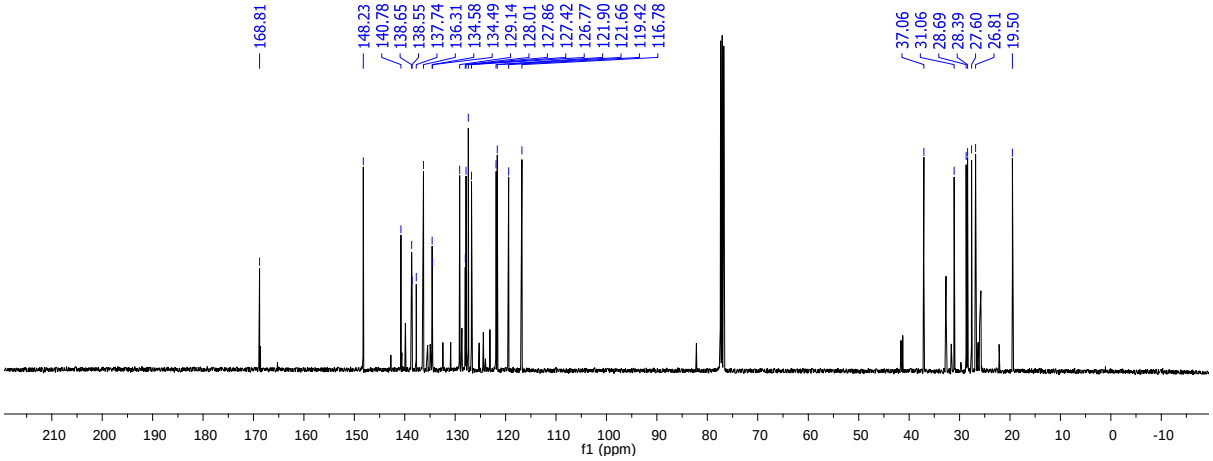


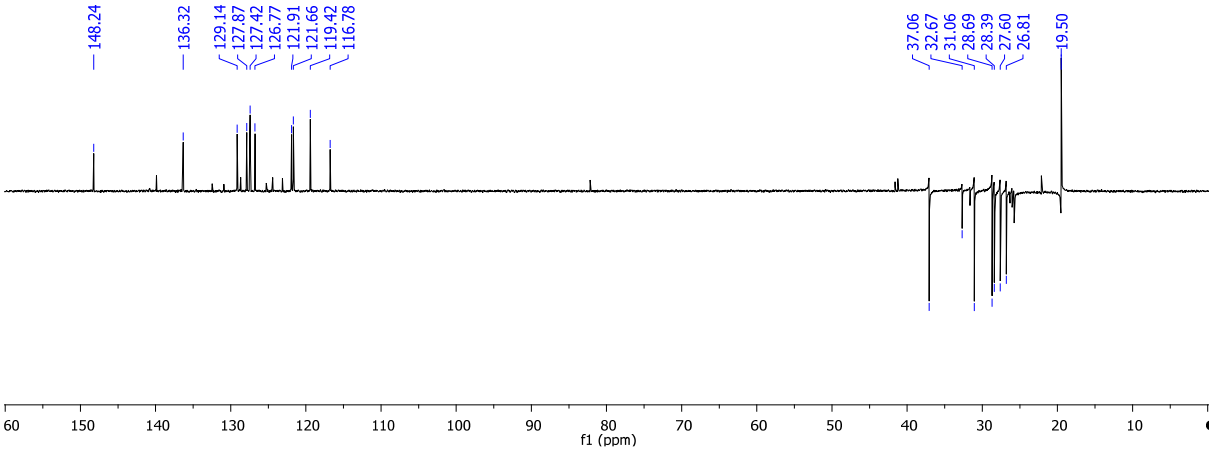




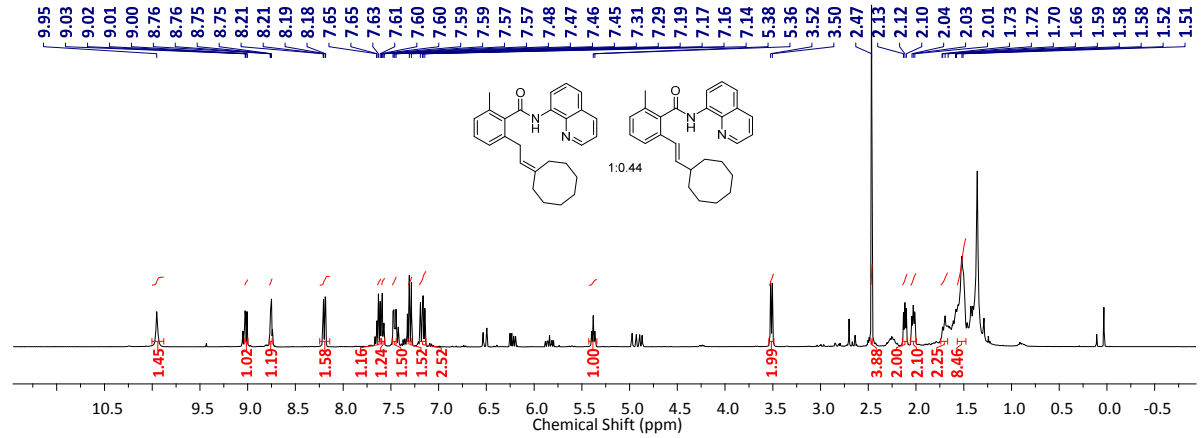
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3aj** and **3aj'**.

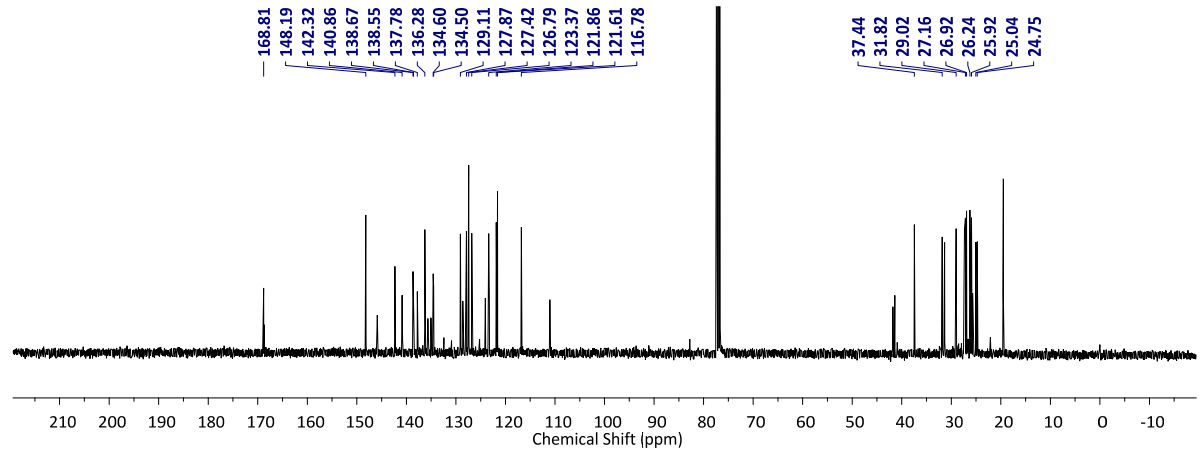


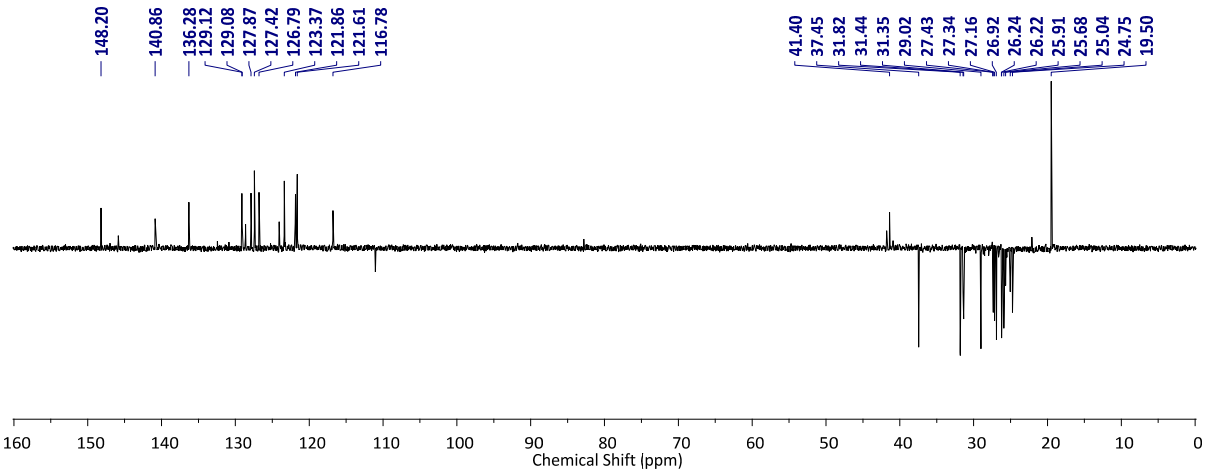




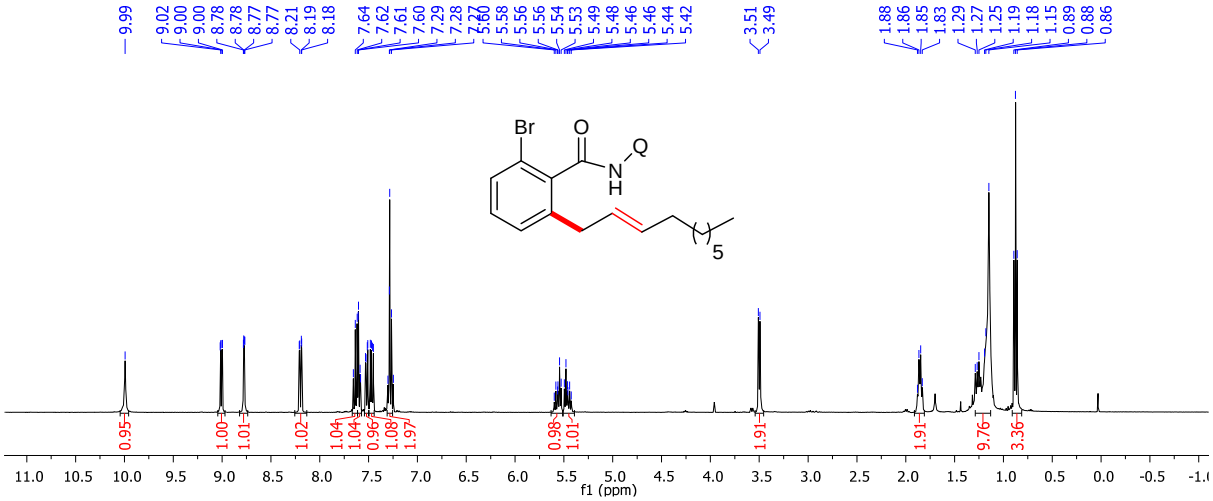
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ak** and **3ak'**.

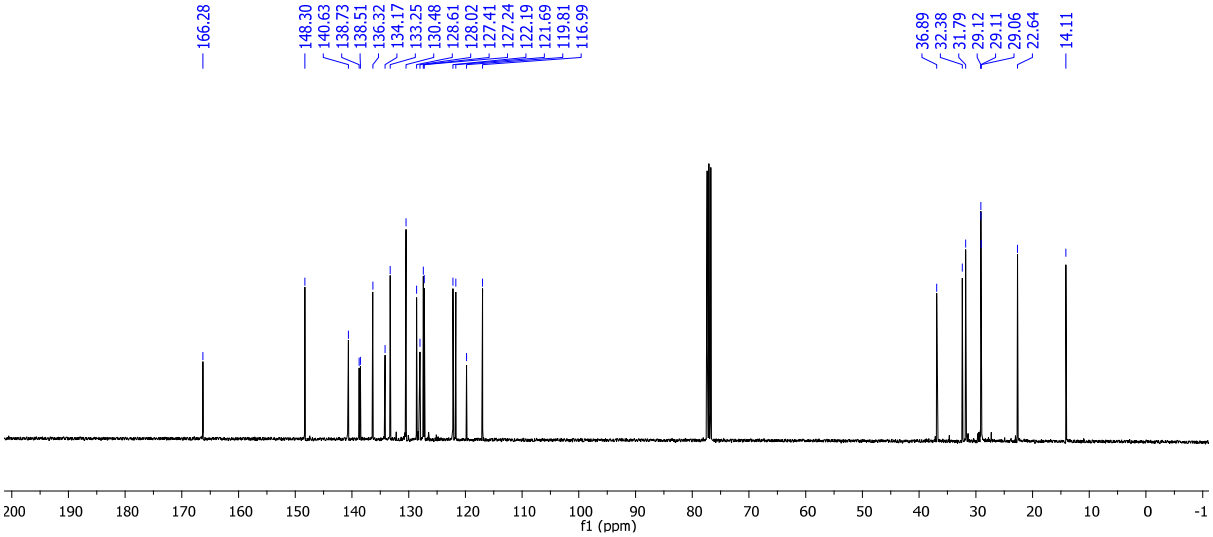


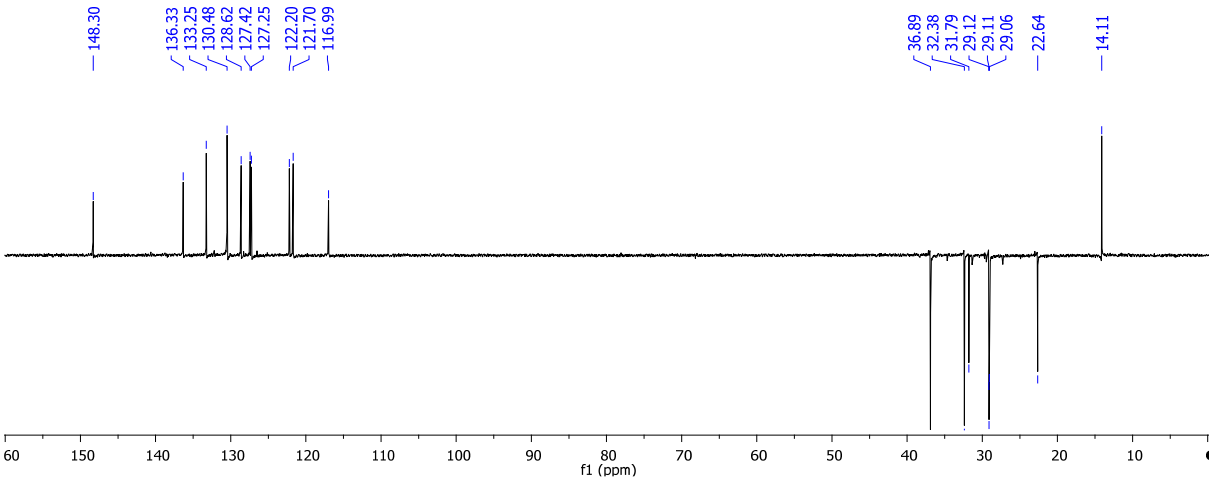




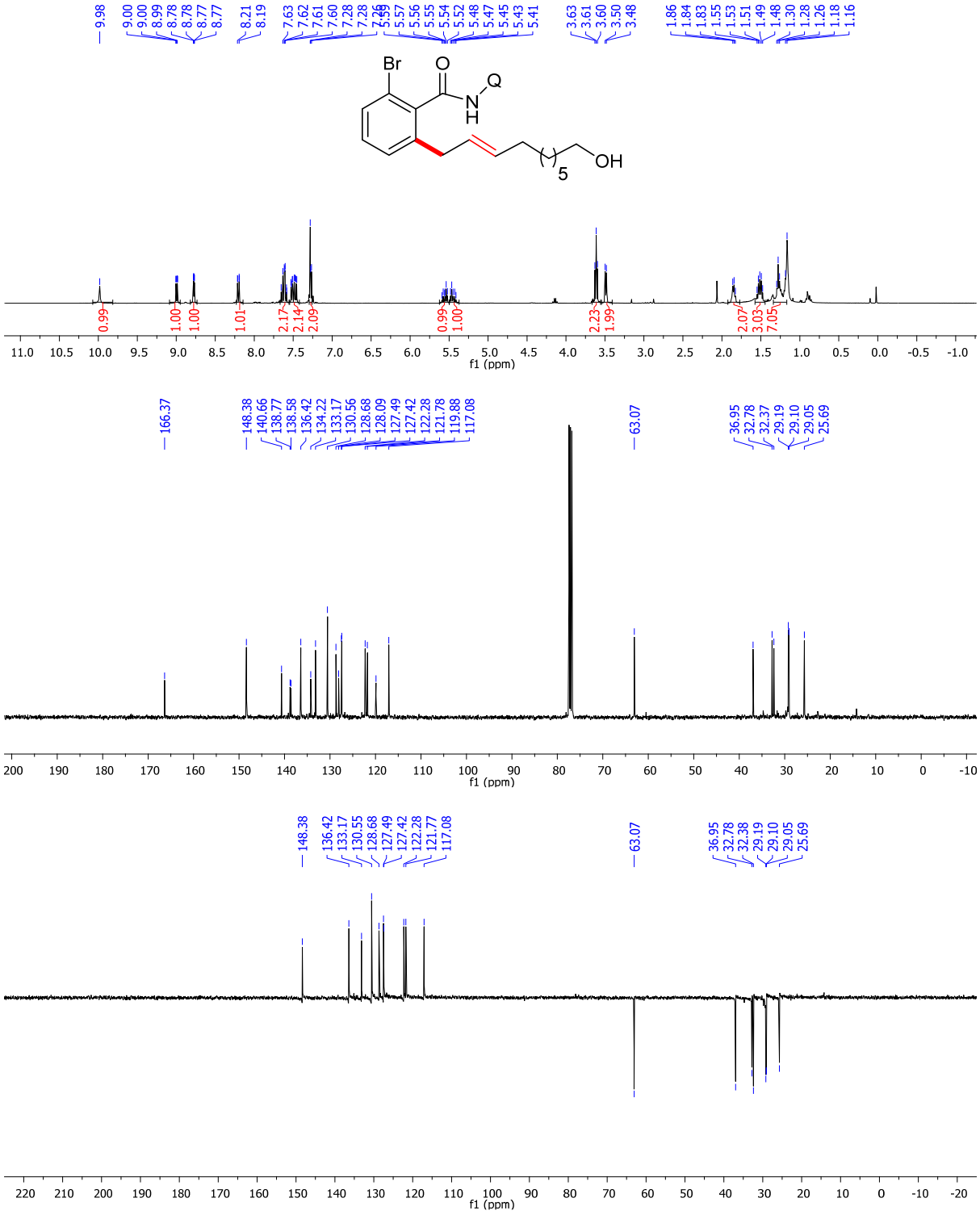
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ba**



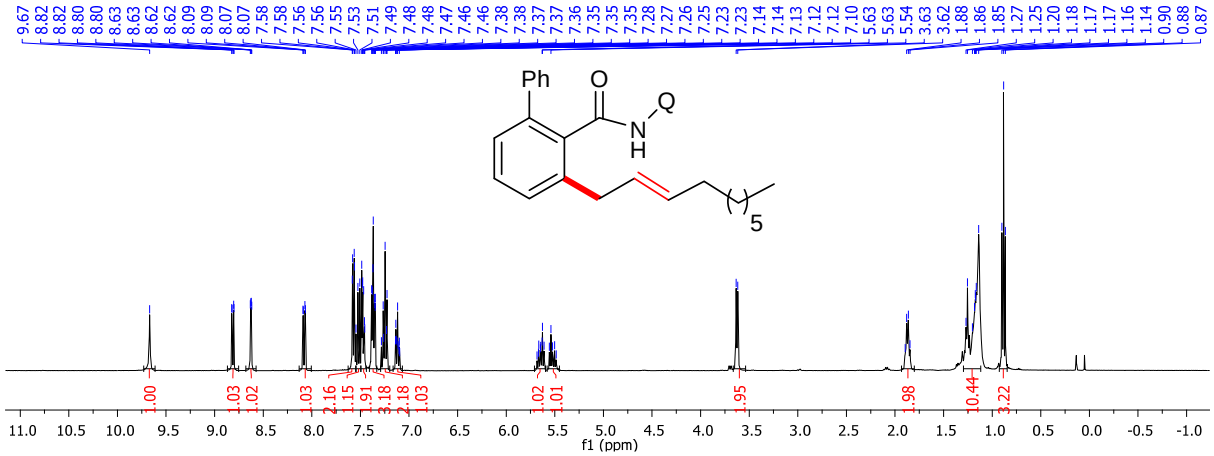


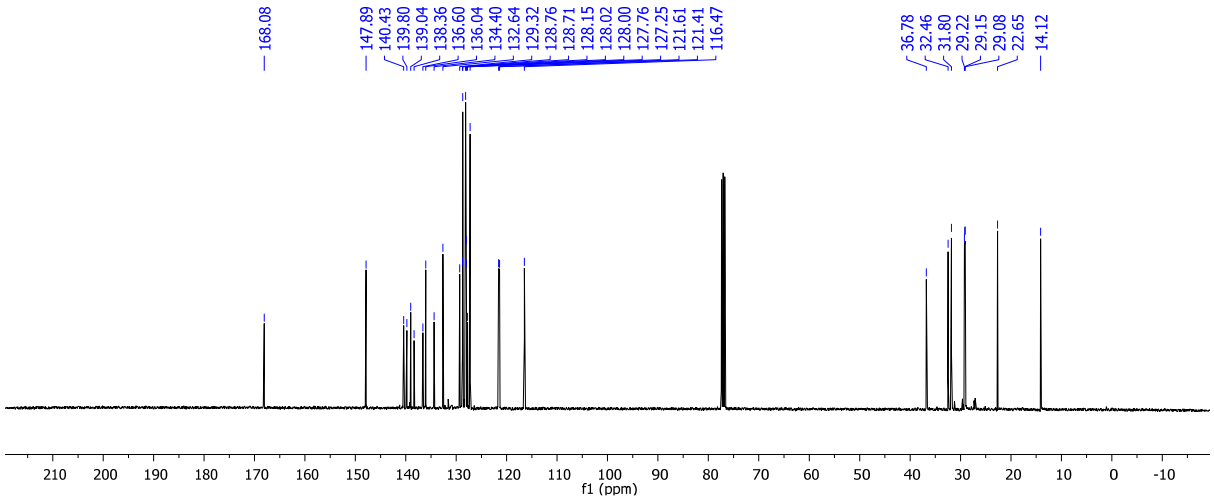


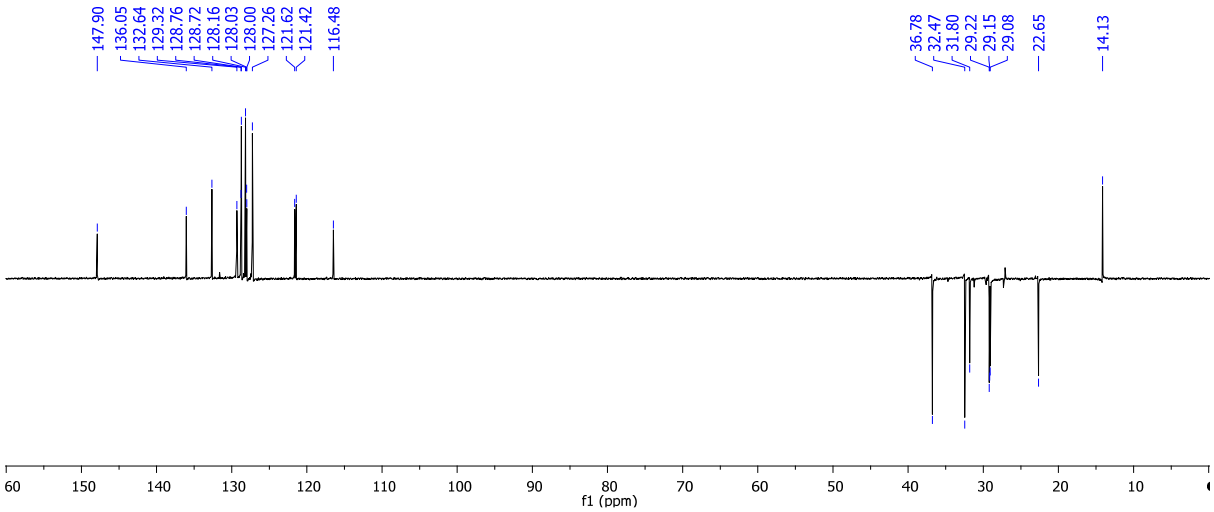
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3bh**



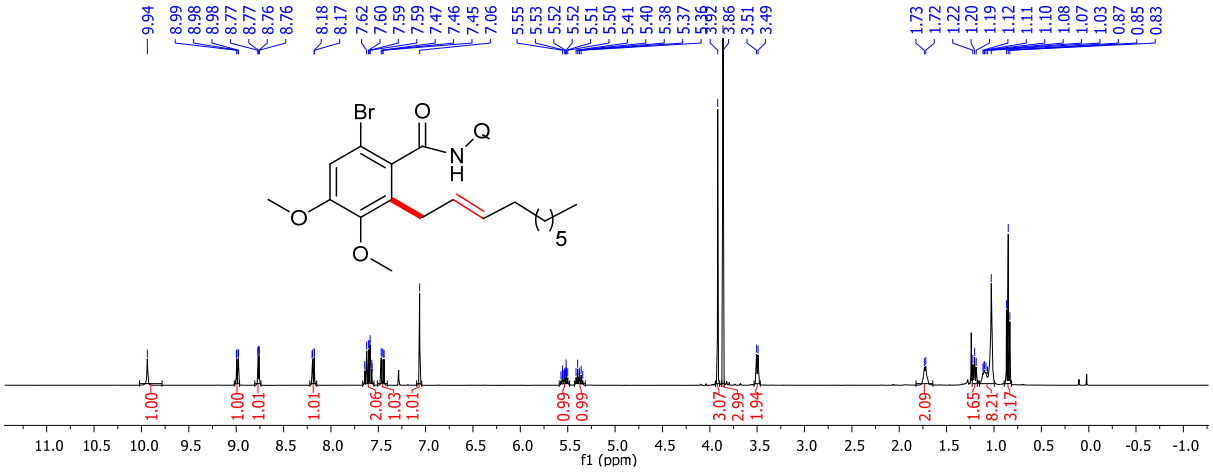
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ca**

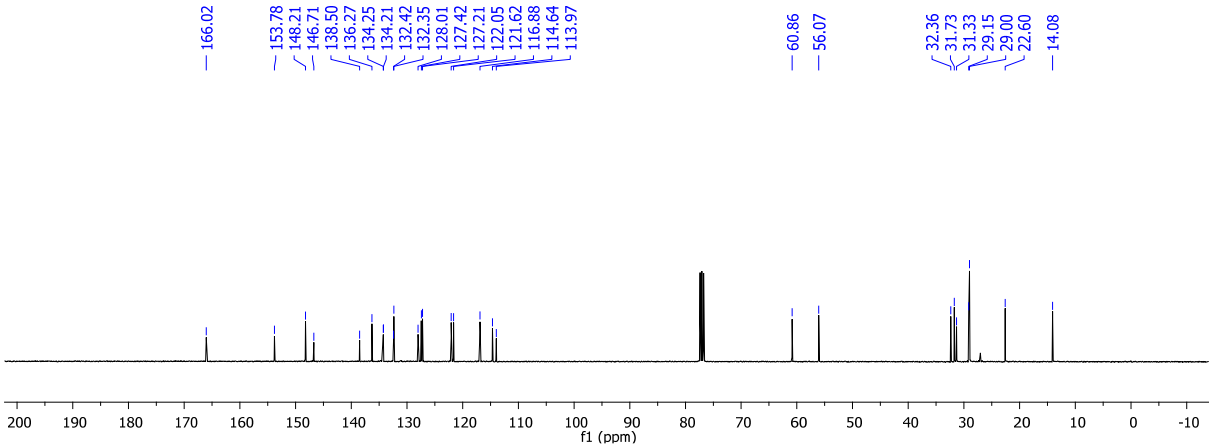


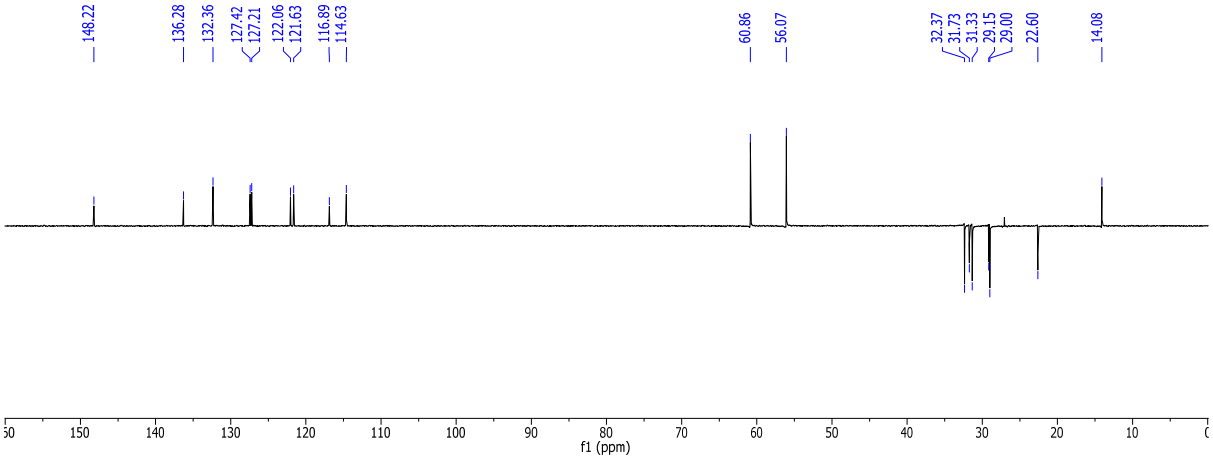




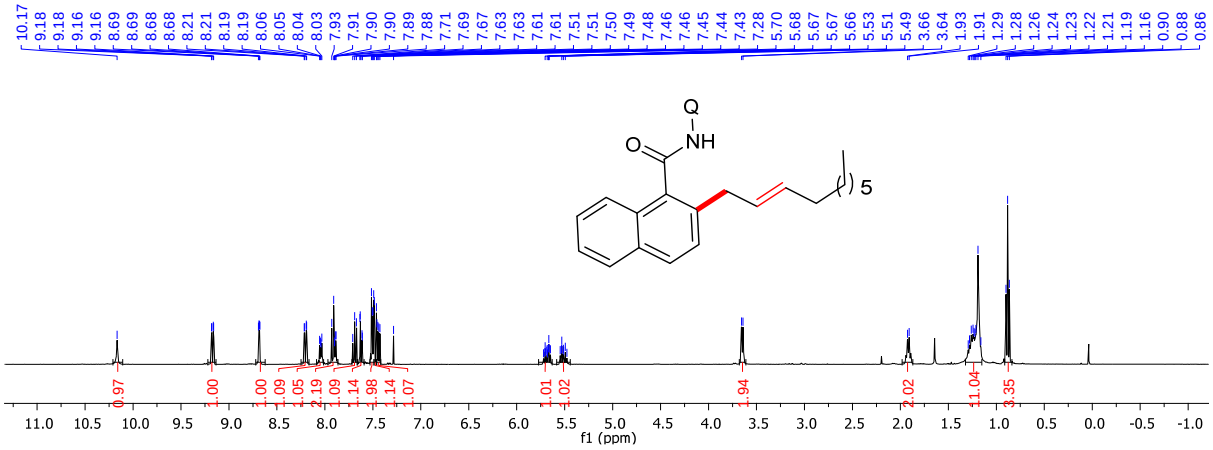
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3da.**

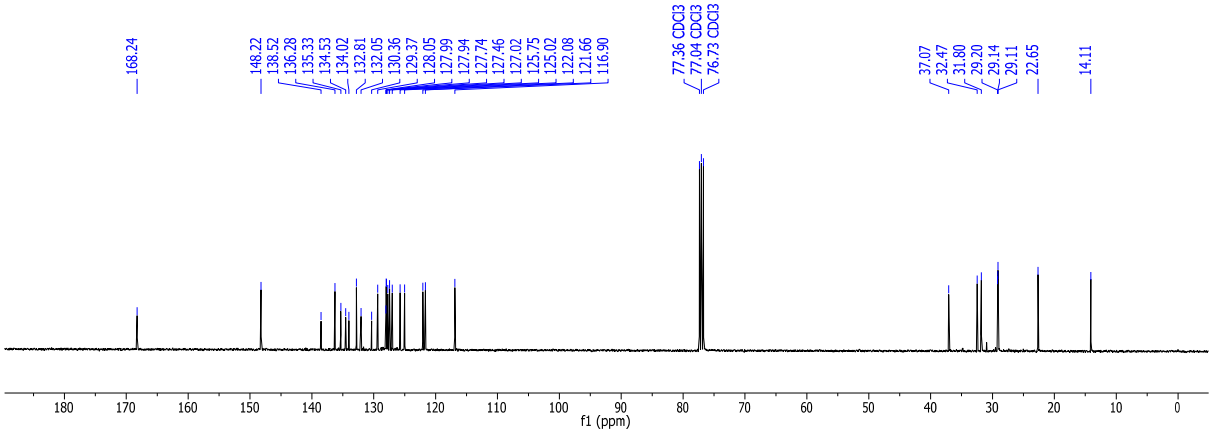


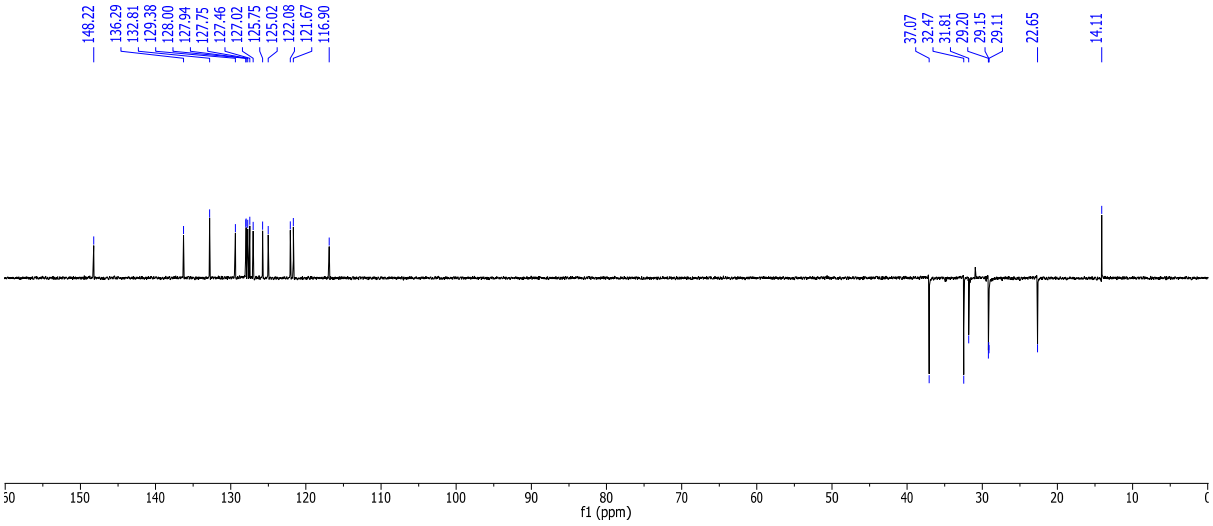




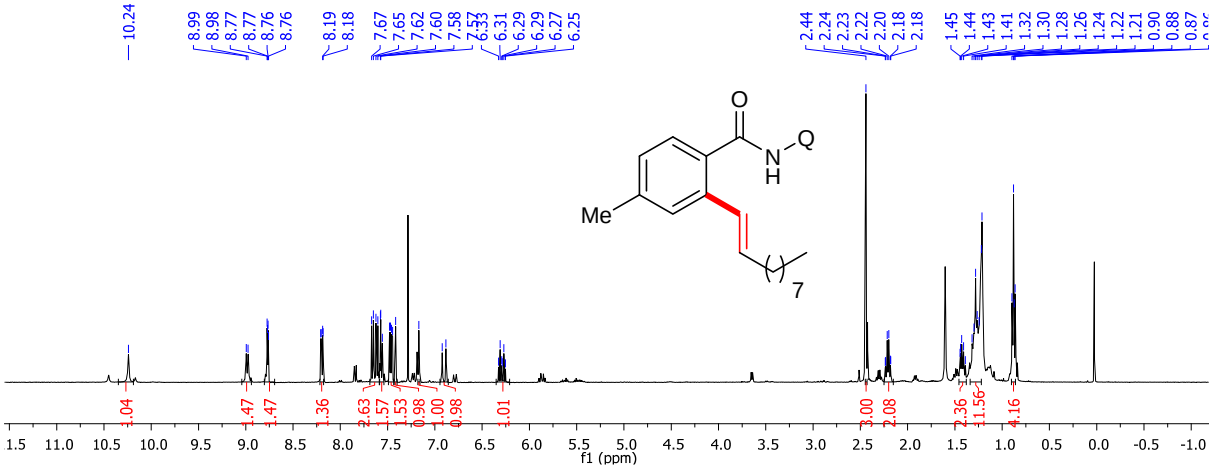
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3ea.**

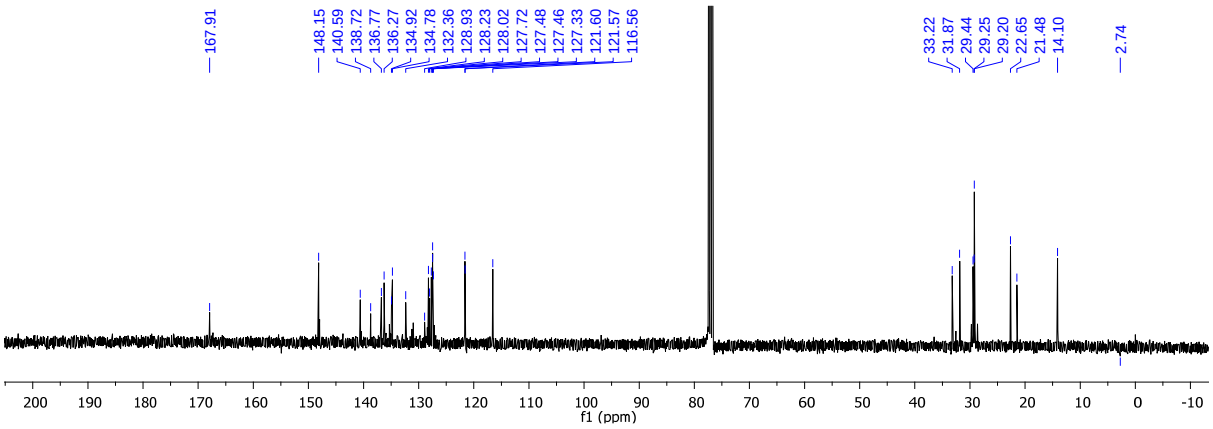


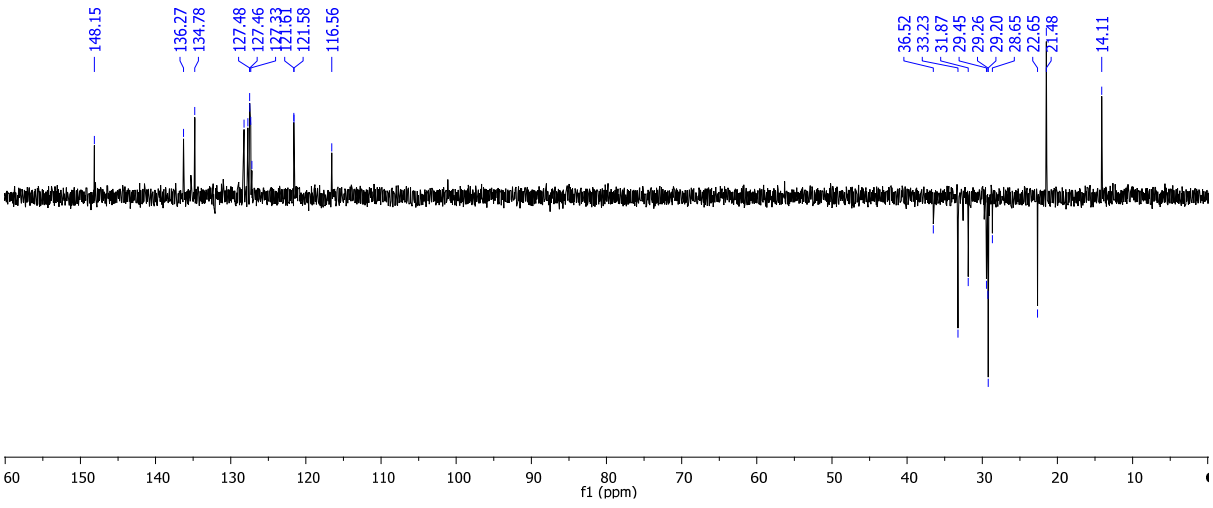




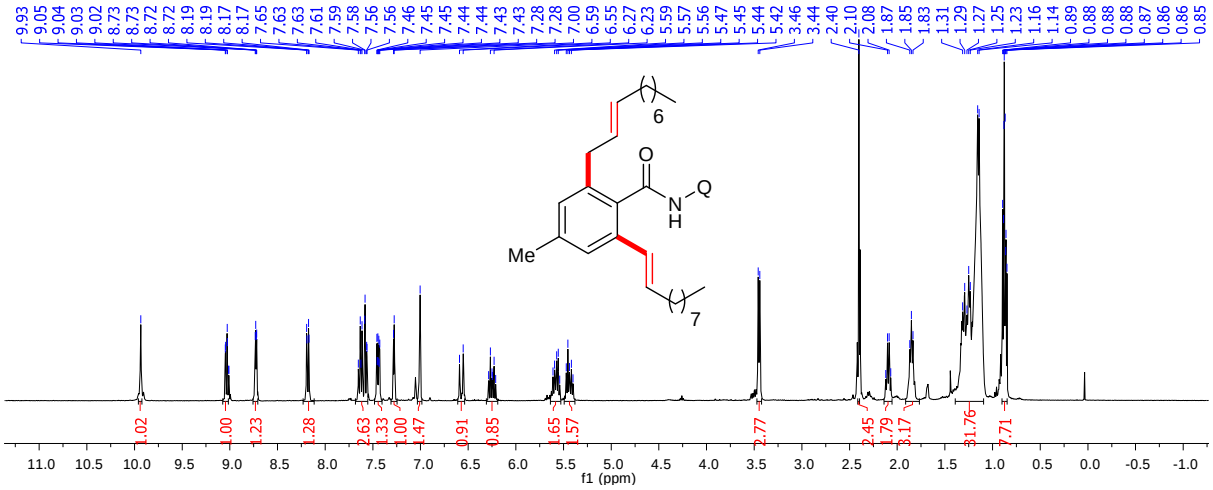
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3fa.**

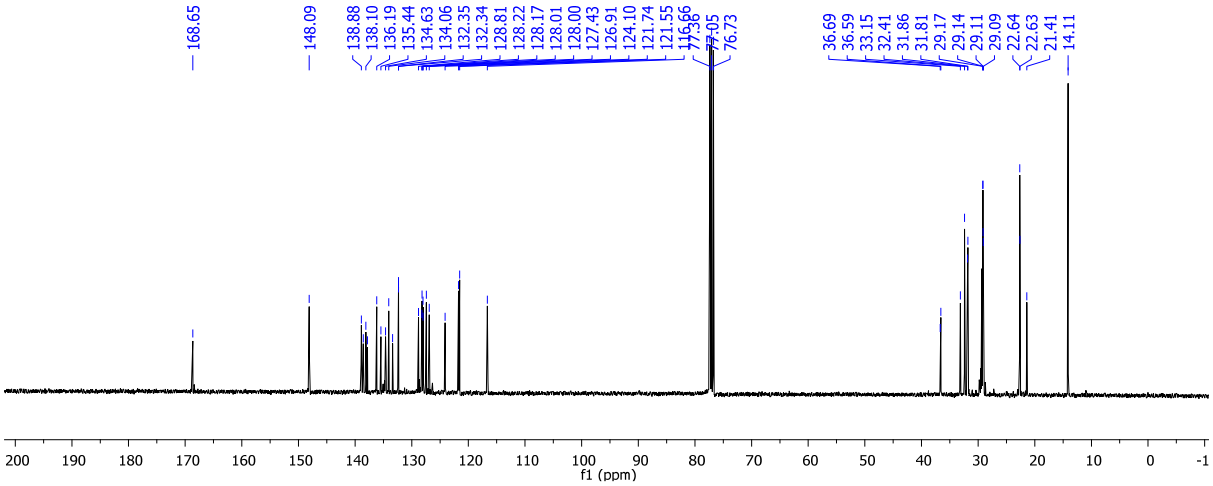


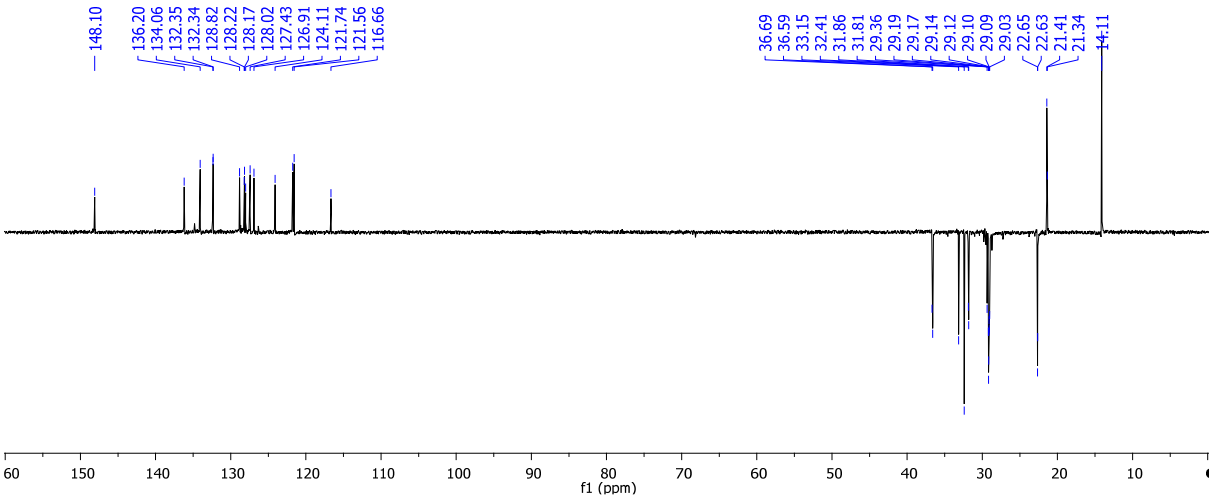




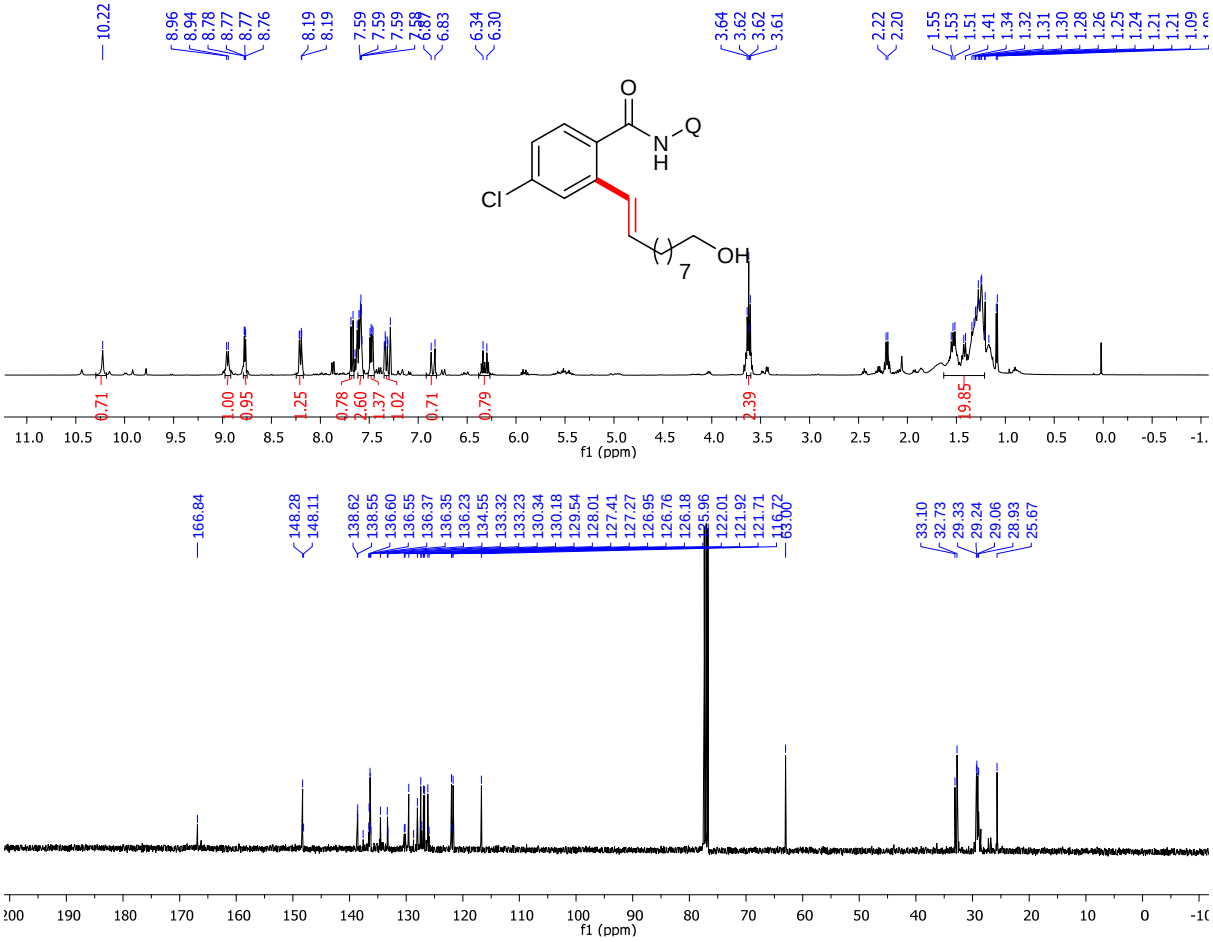
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3faa.**



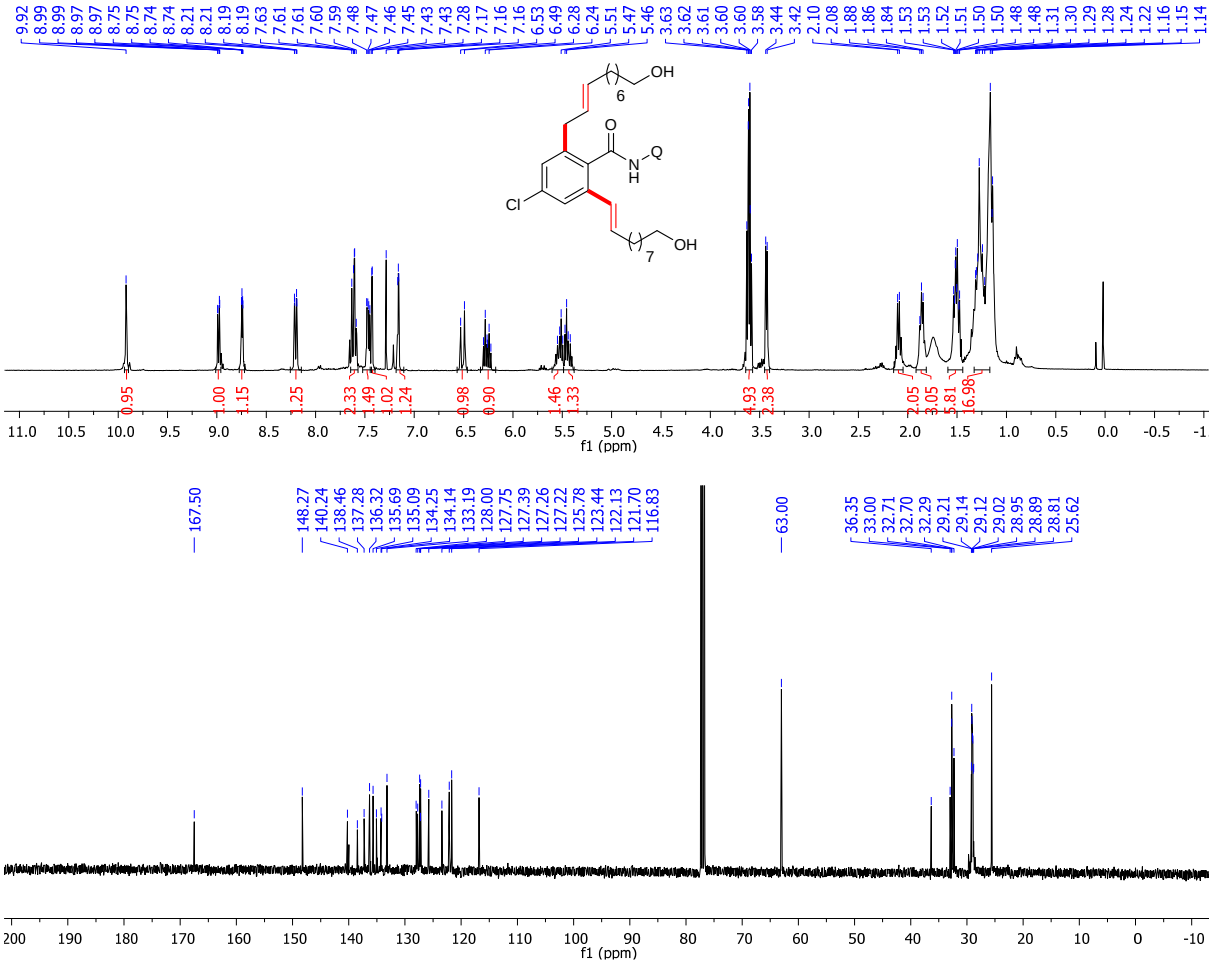




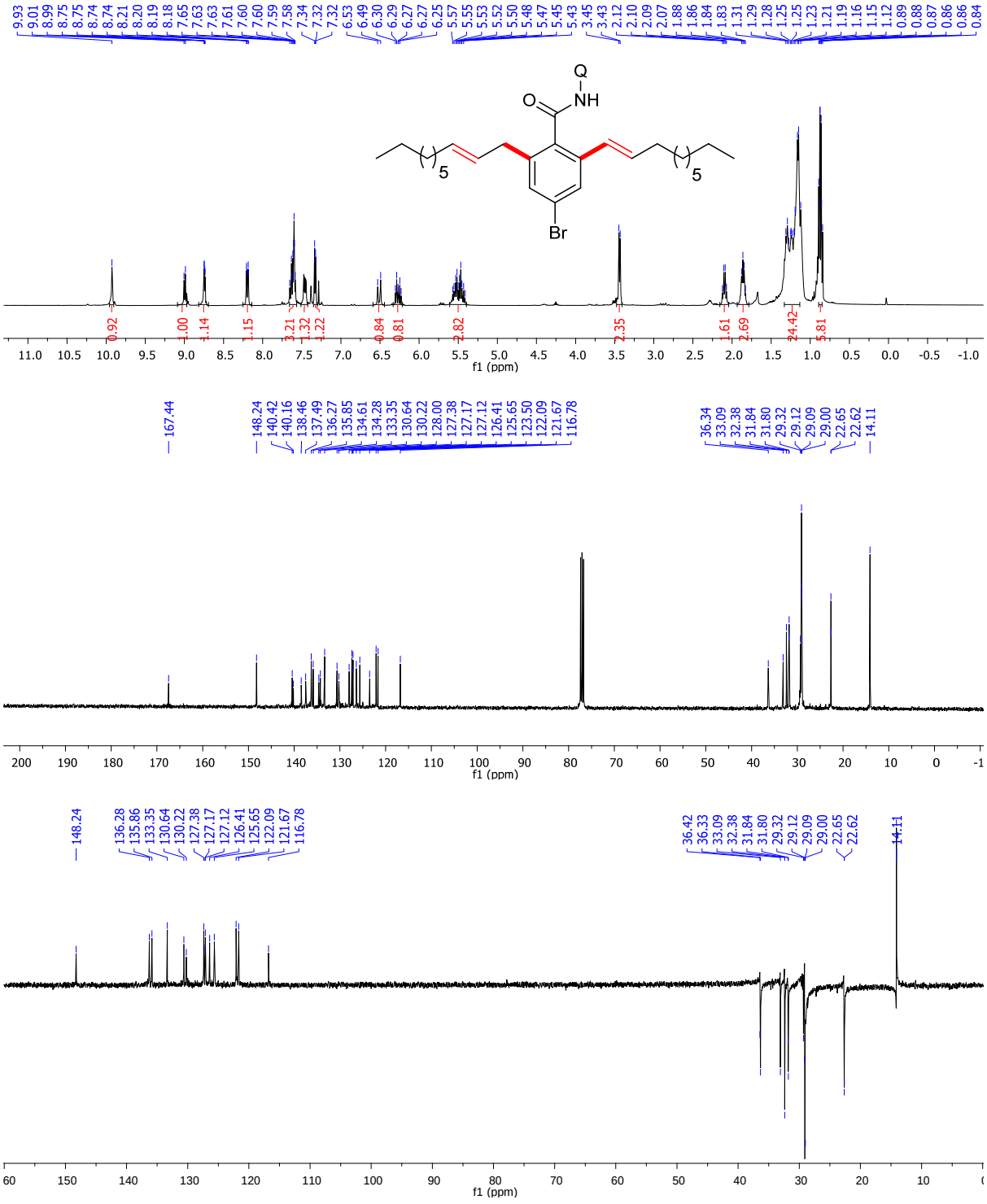
Copies of H^1 and C^{13} NMR spectra of compound **3gh.**



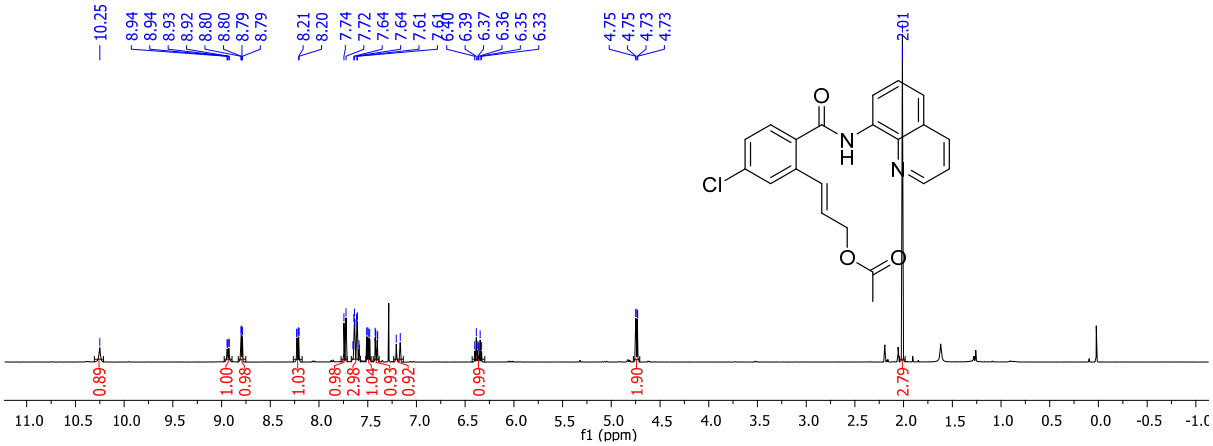
Copies of H^1 and C^{13} NMR spectra of compound **3ghh.**

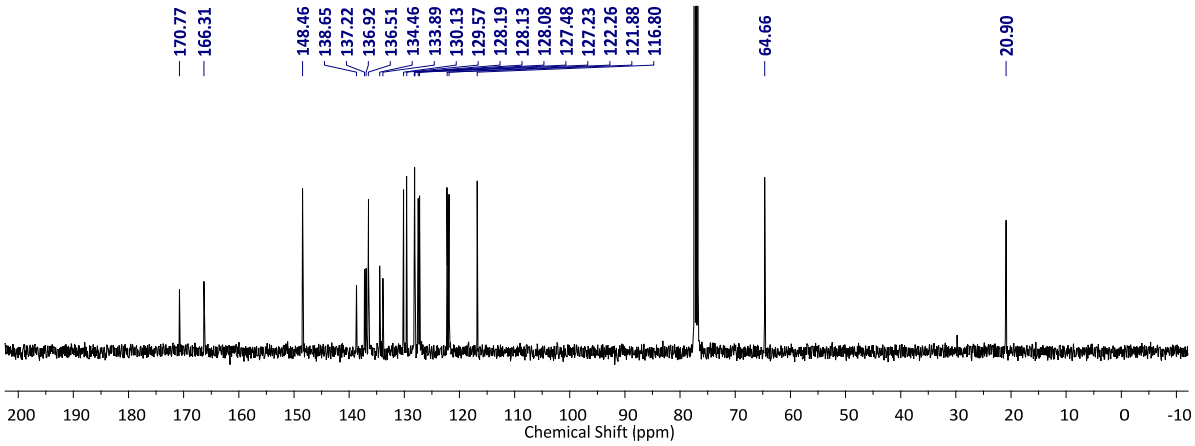


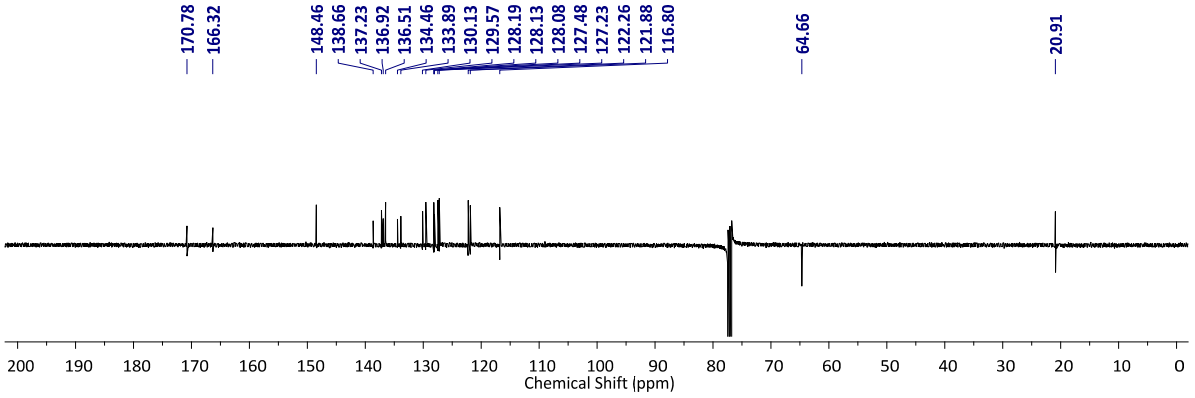
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **3haa.**



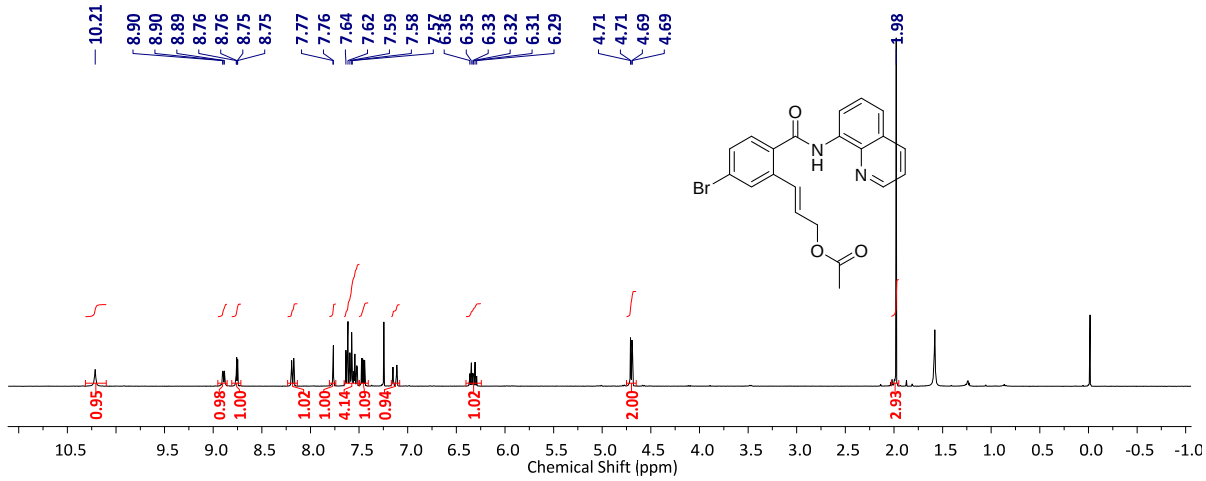
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4gl**

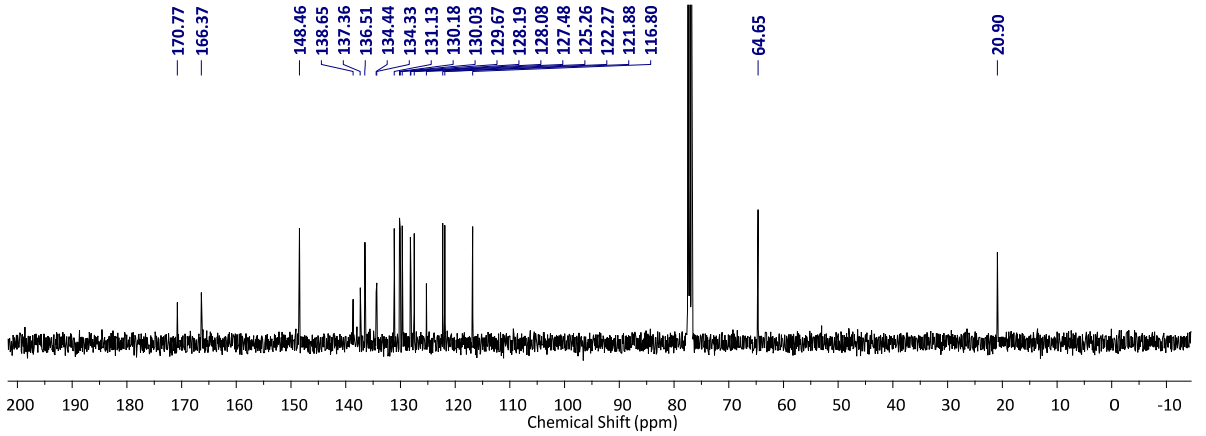


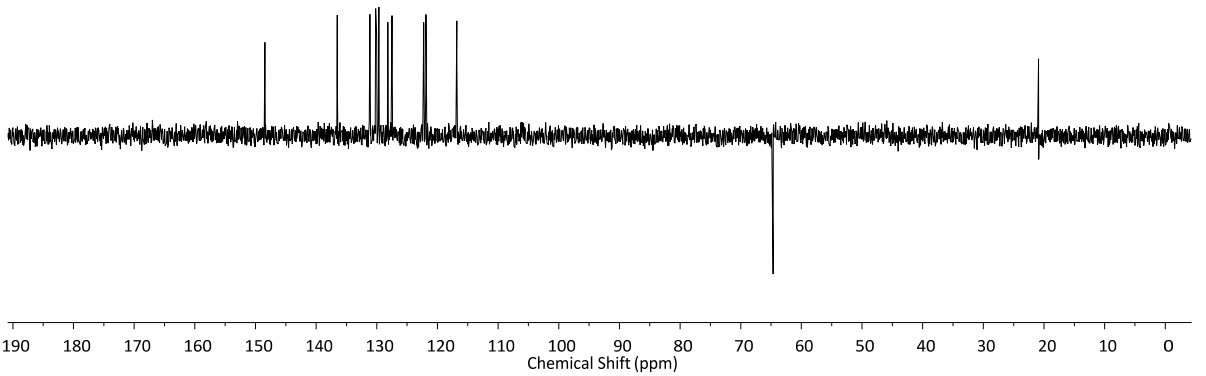




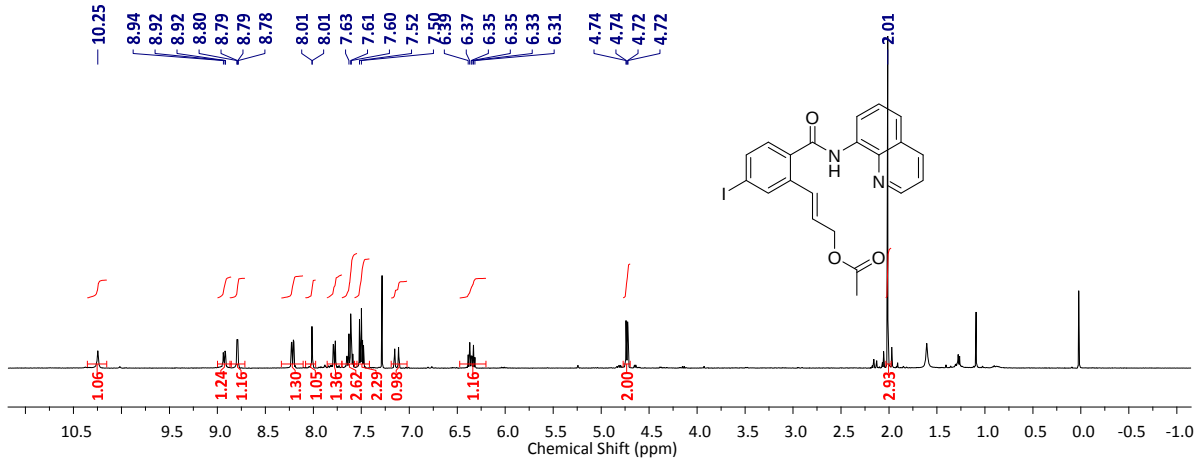
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4hl**

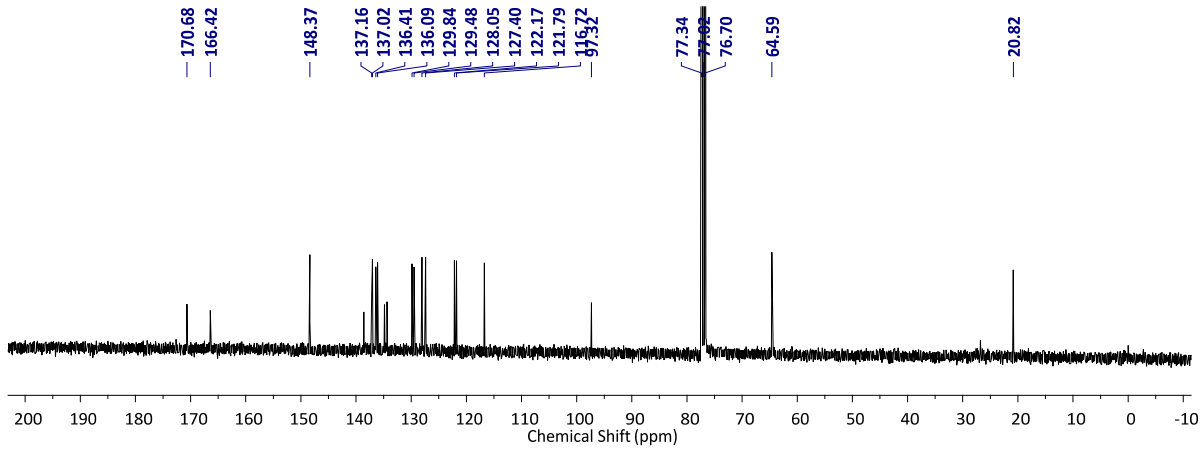


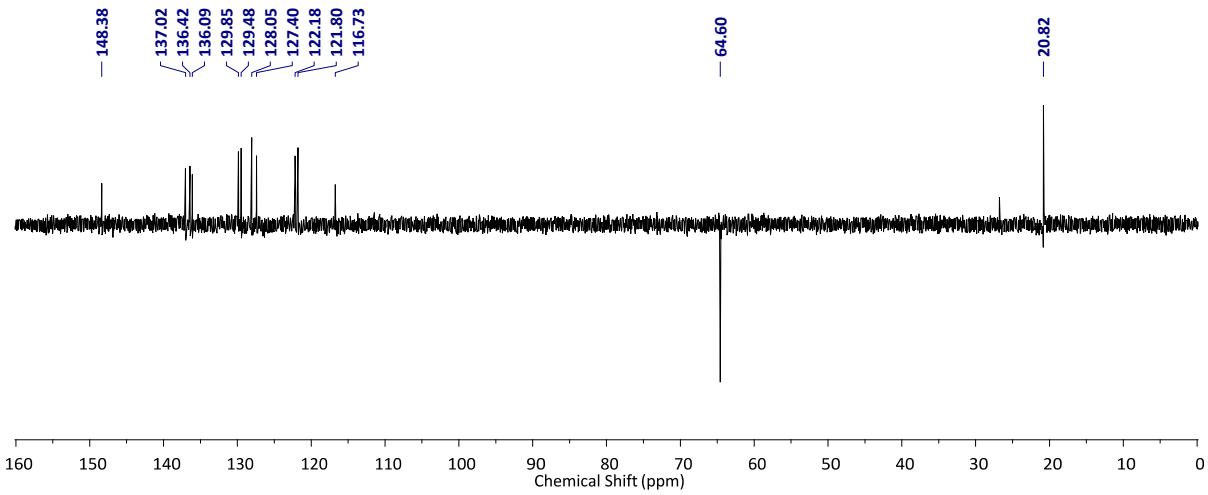




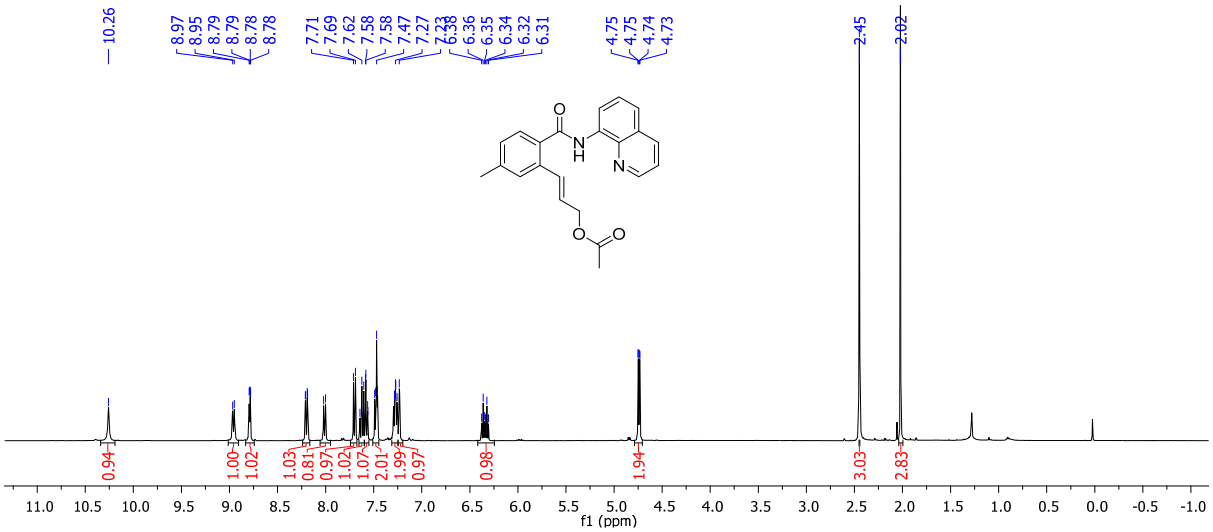
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4il**

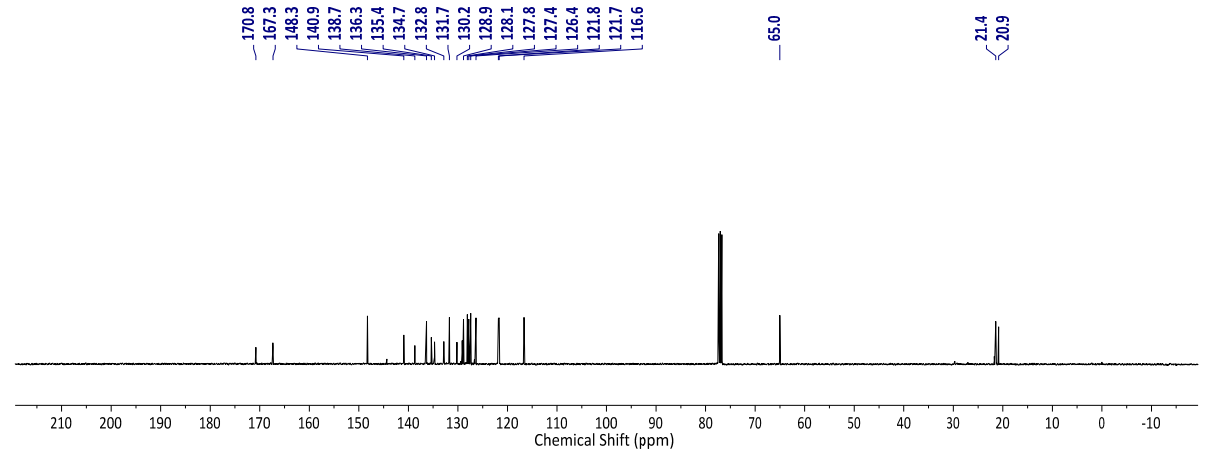


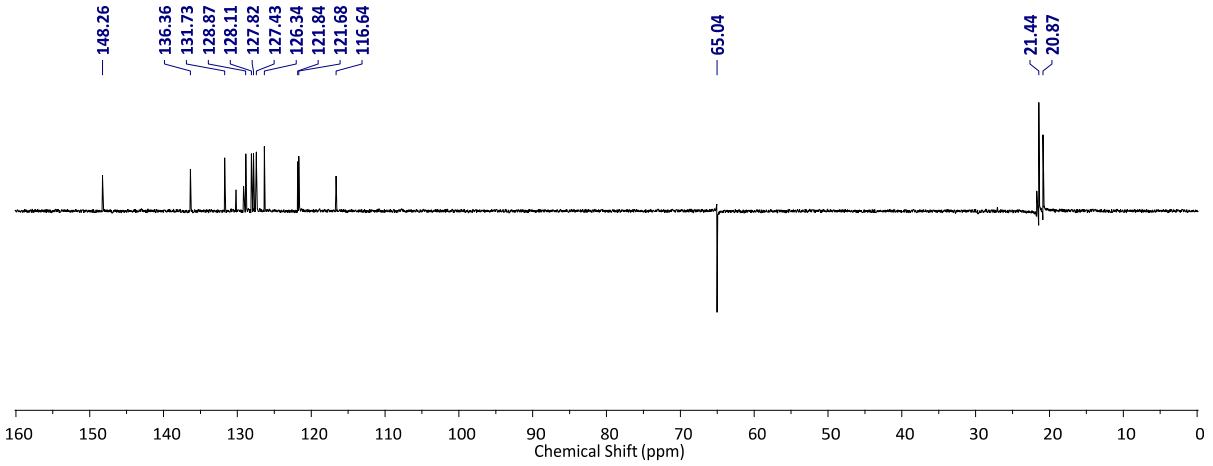




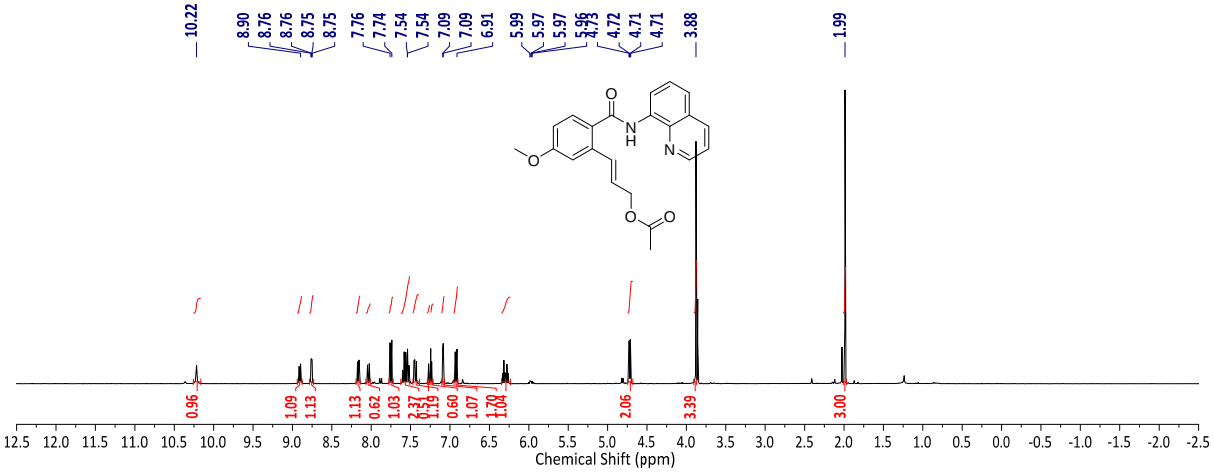
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4fl.**

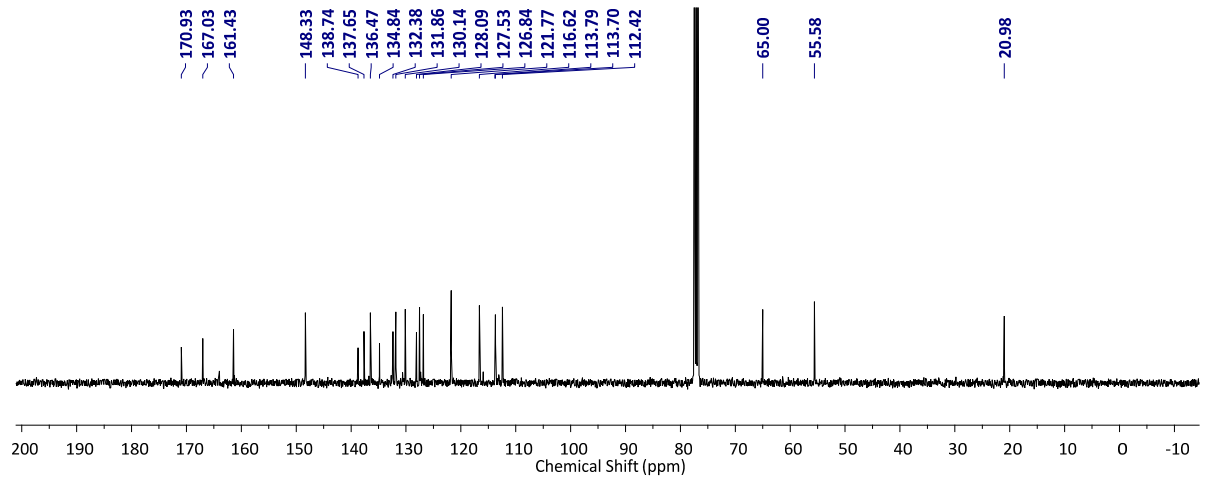


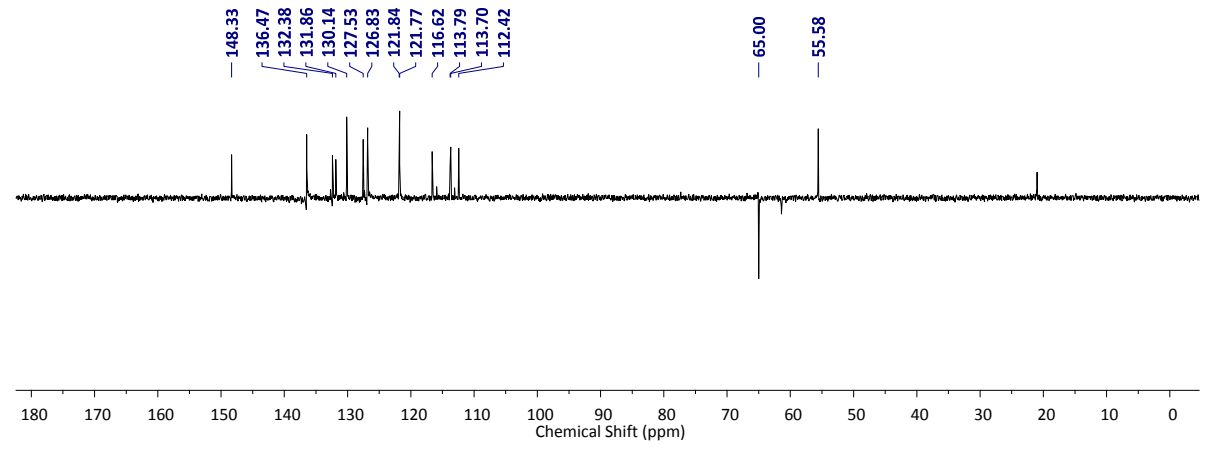




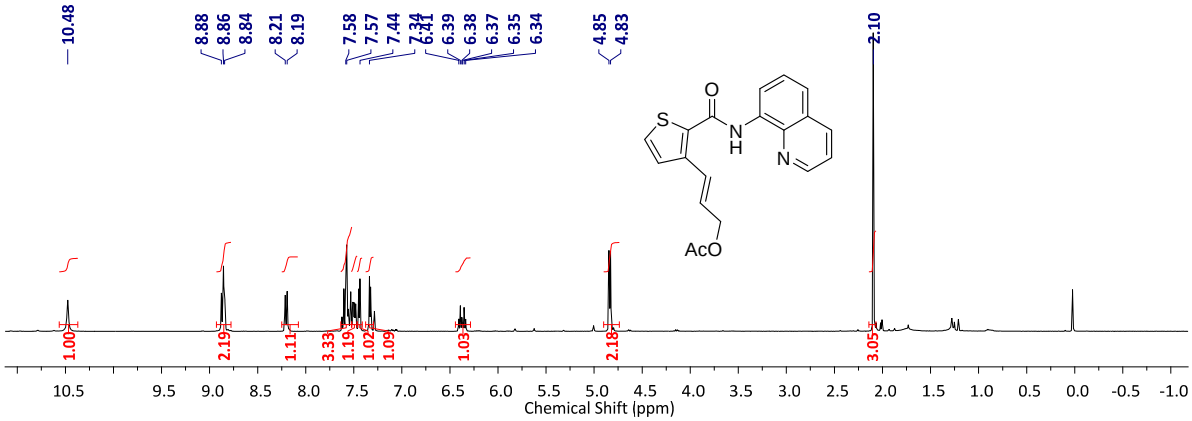
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4jl**.

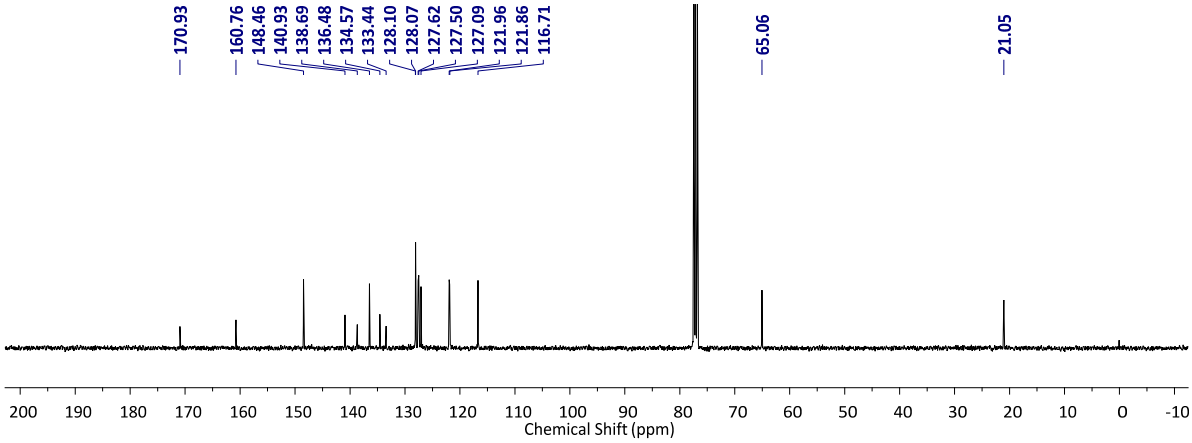


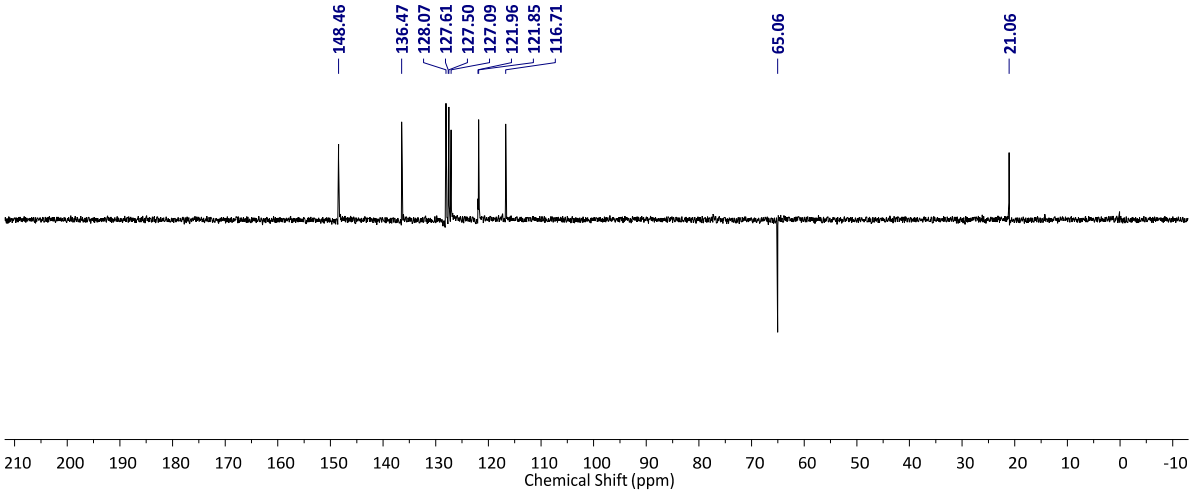




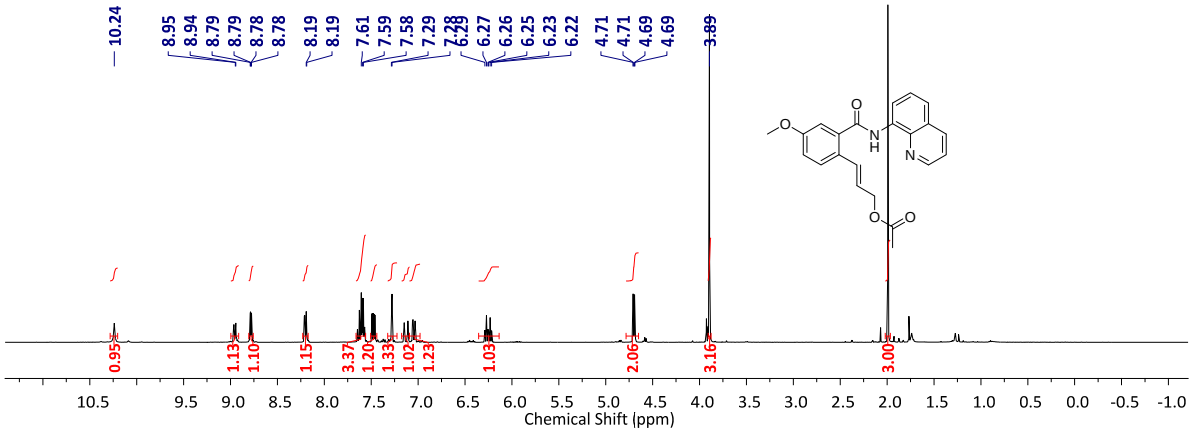
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4kl**

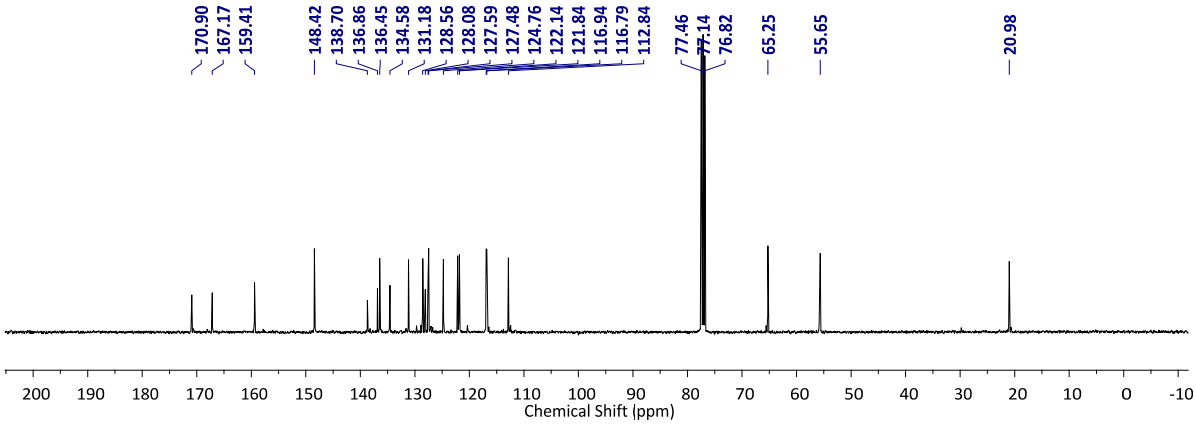


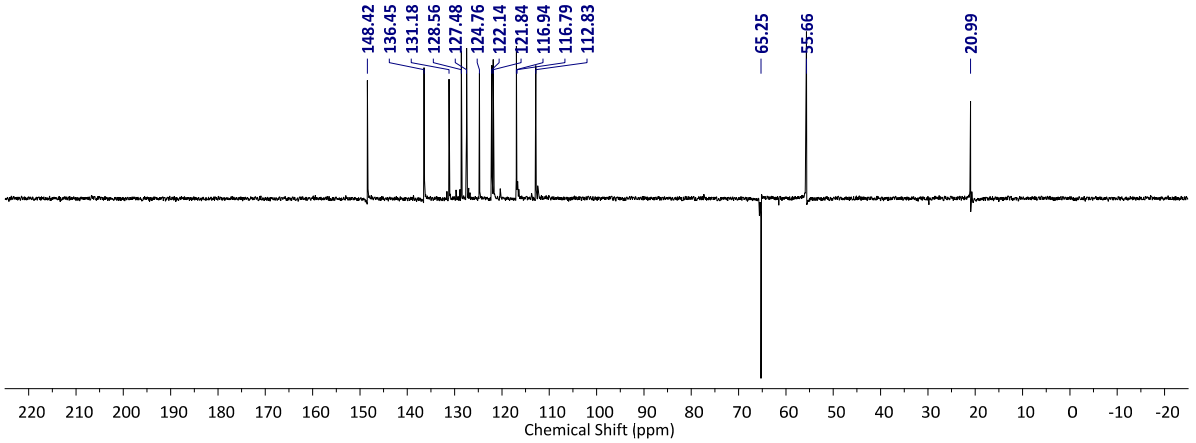




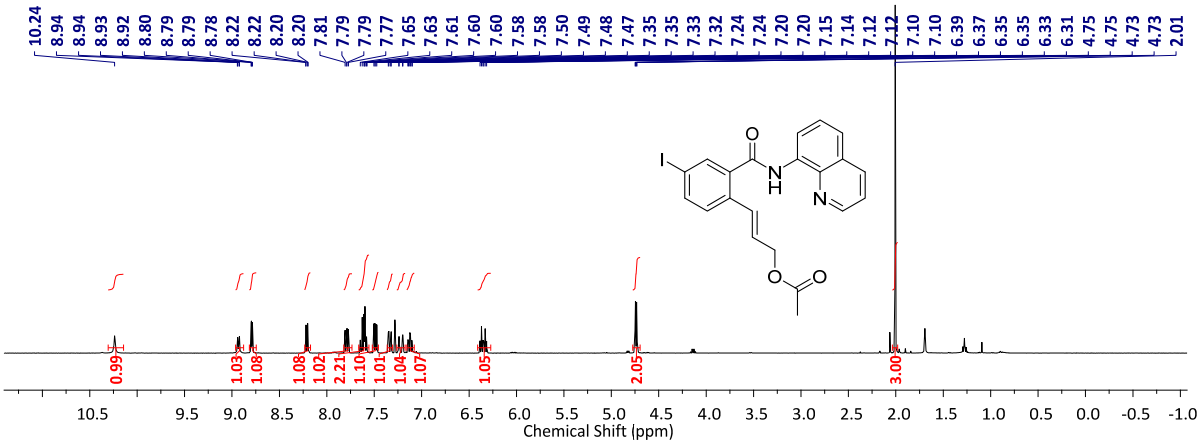
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4ll**

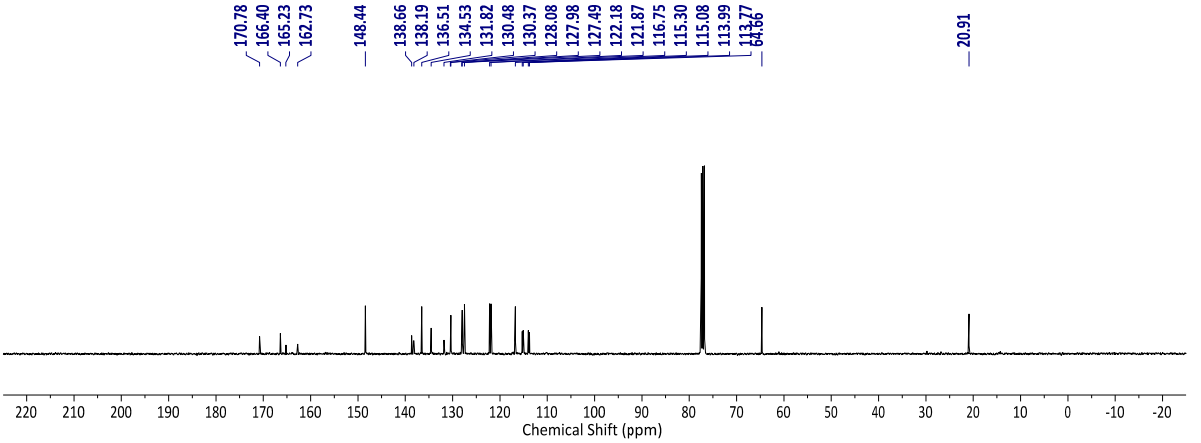


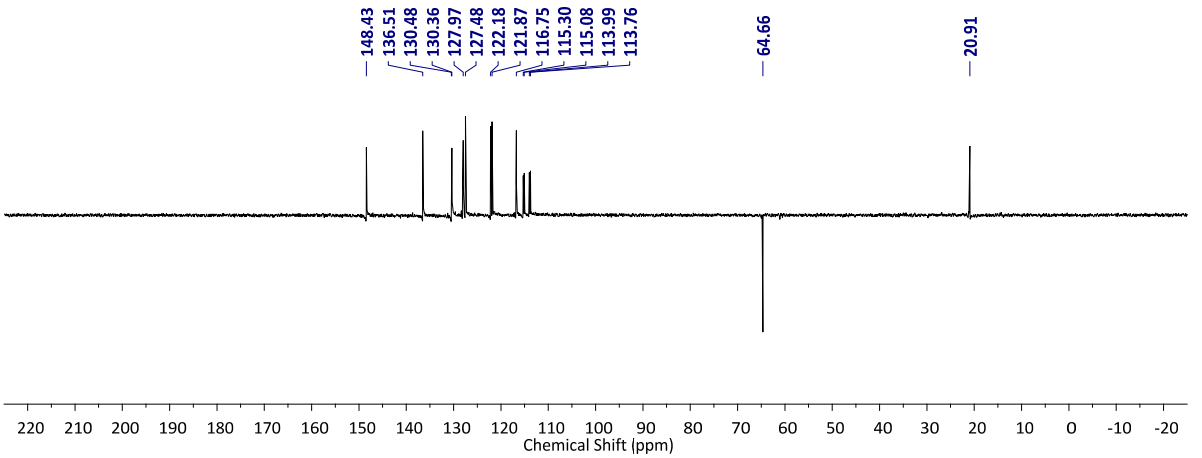




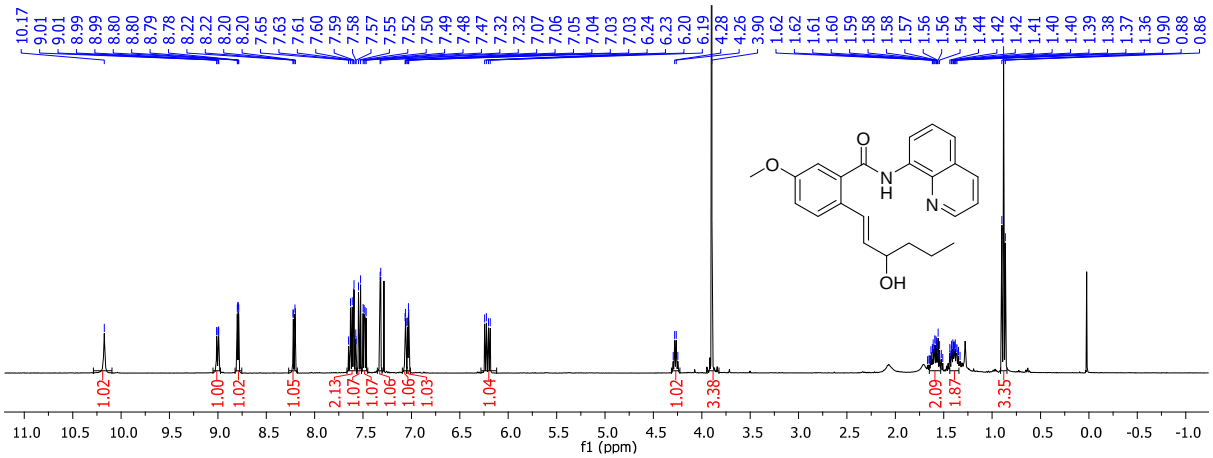
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4ml**

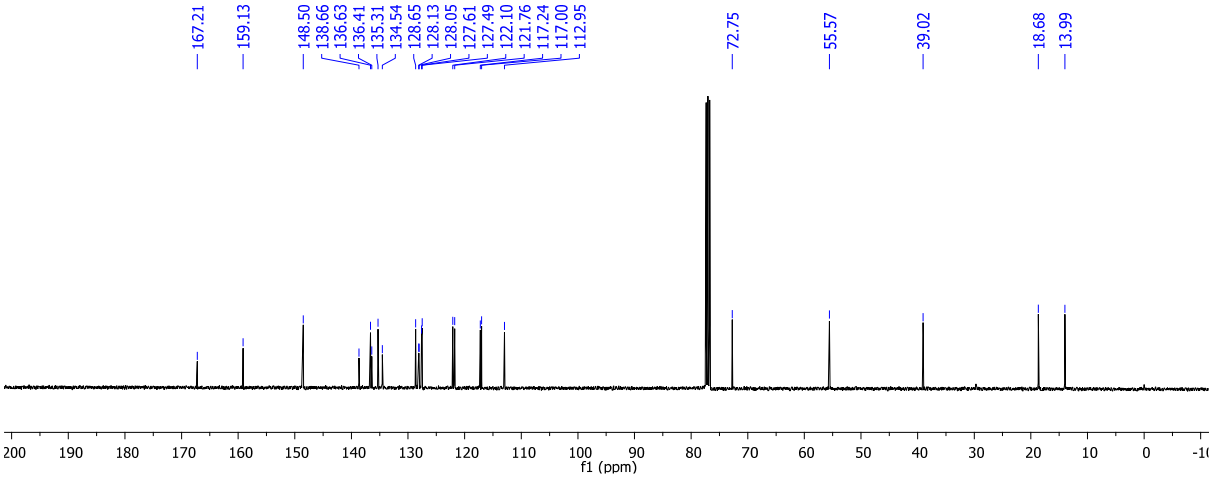


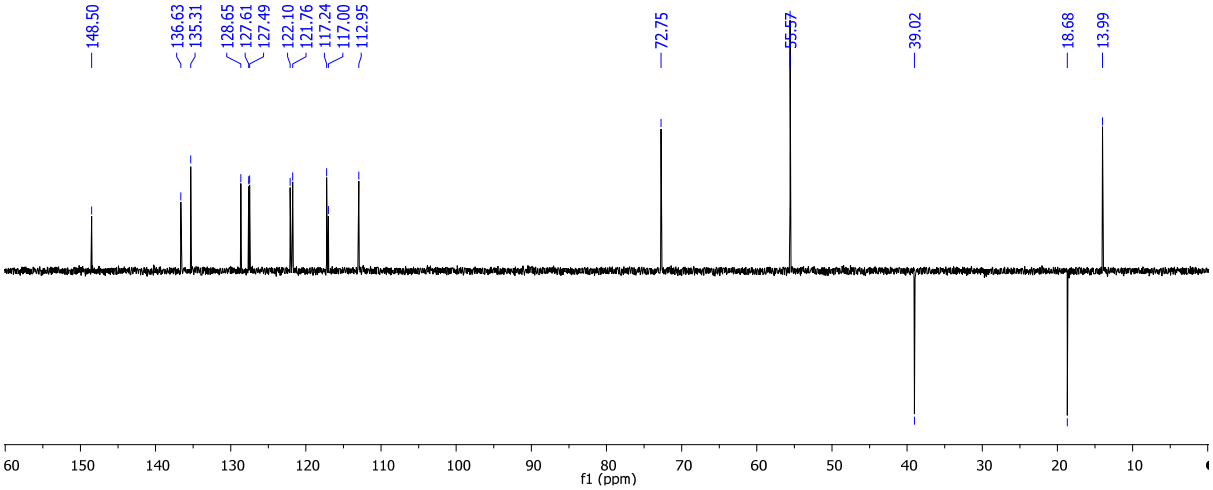




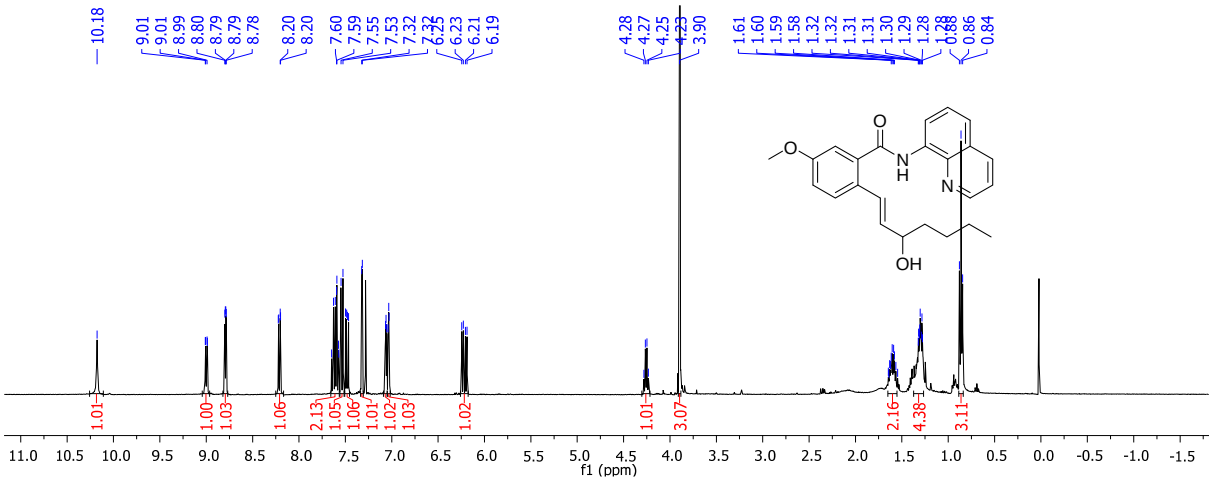
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4lm**

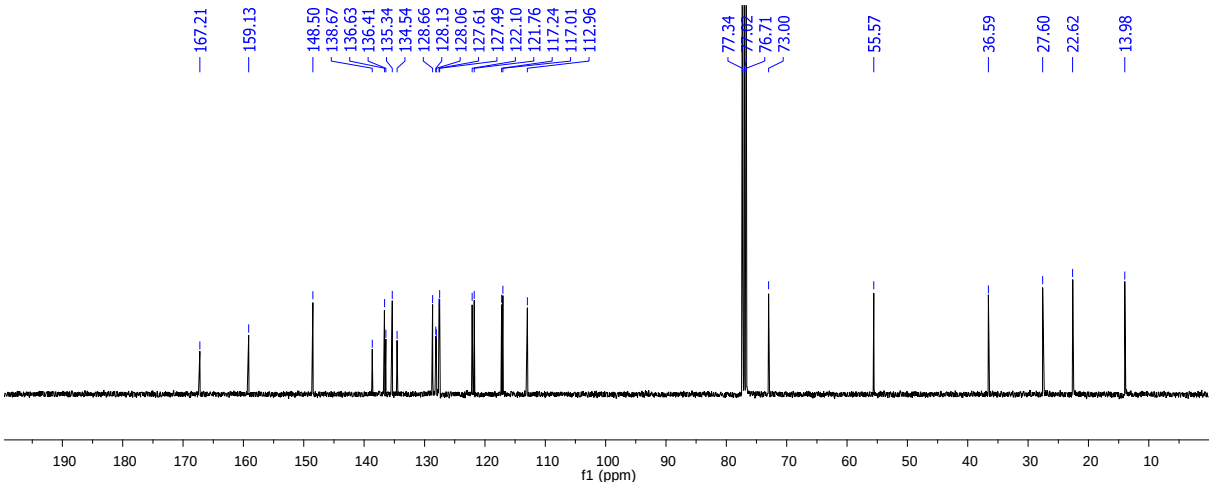


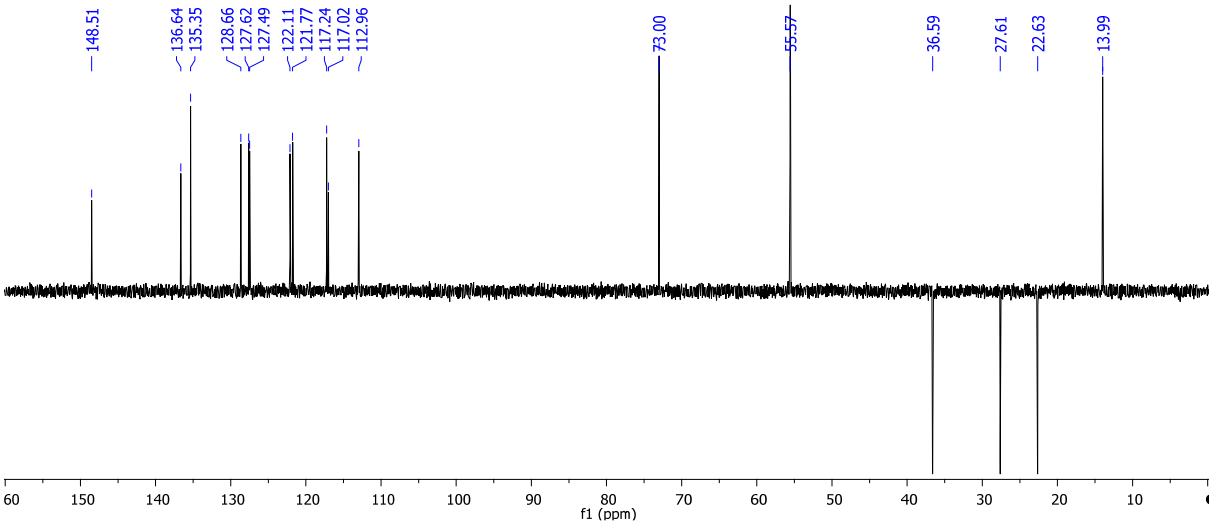




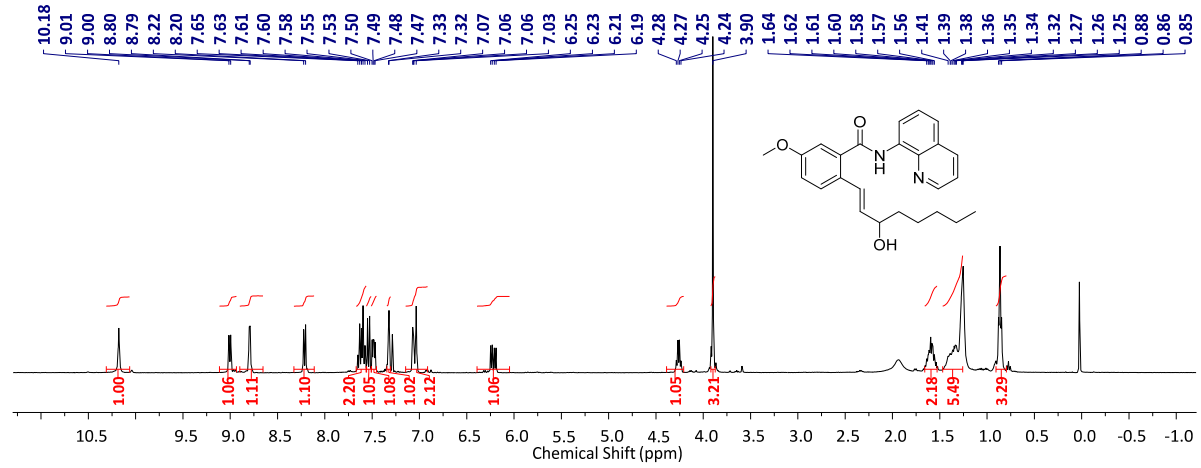
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4ln**

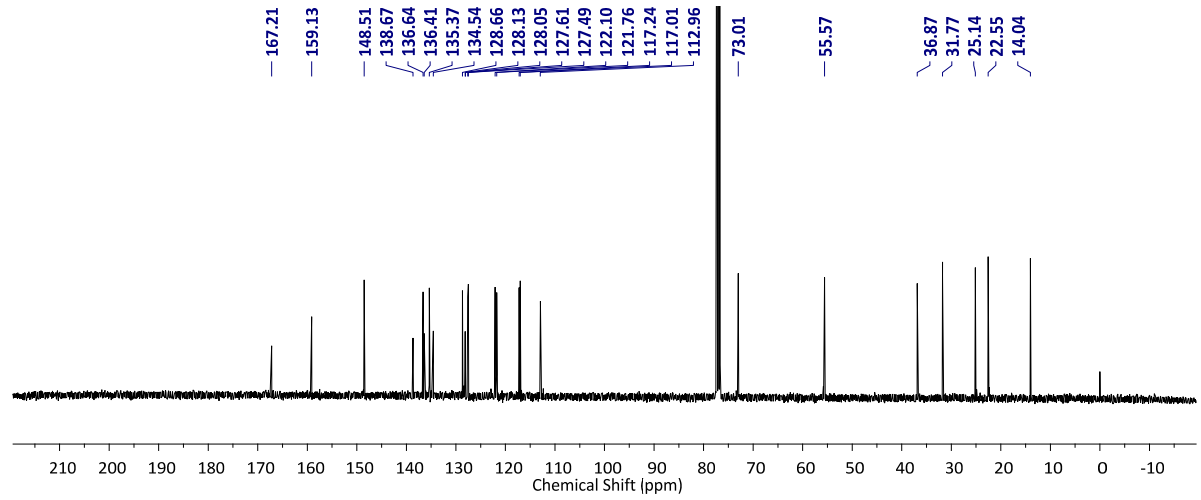


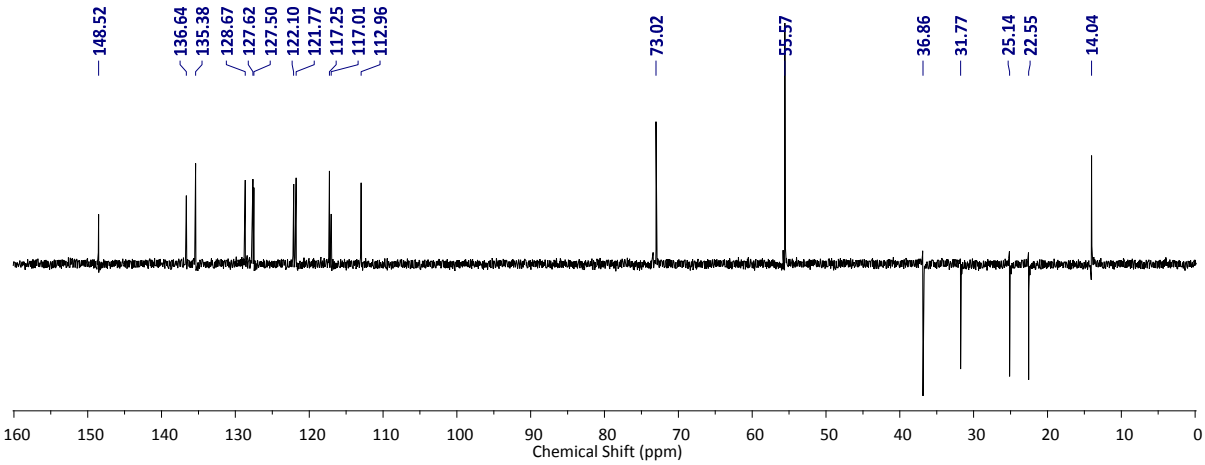




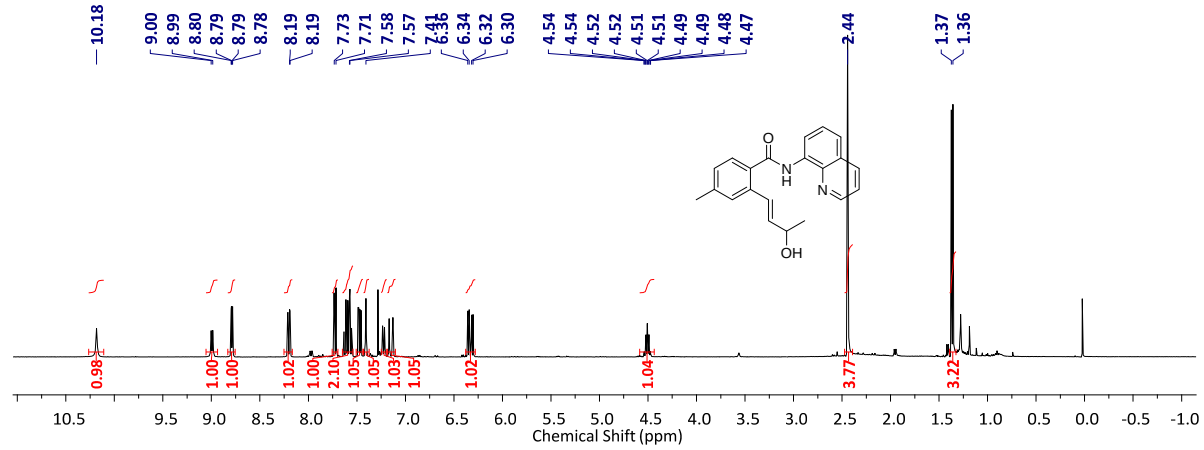
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4lo**

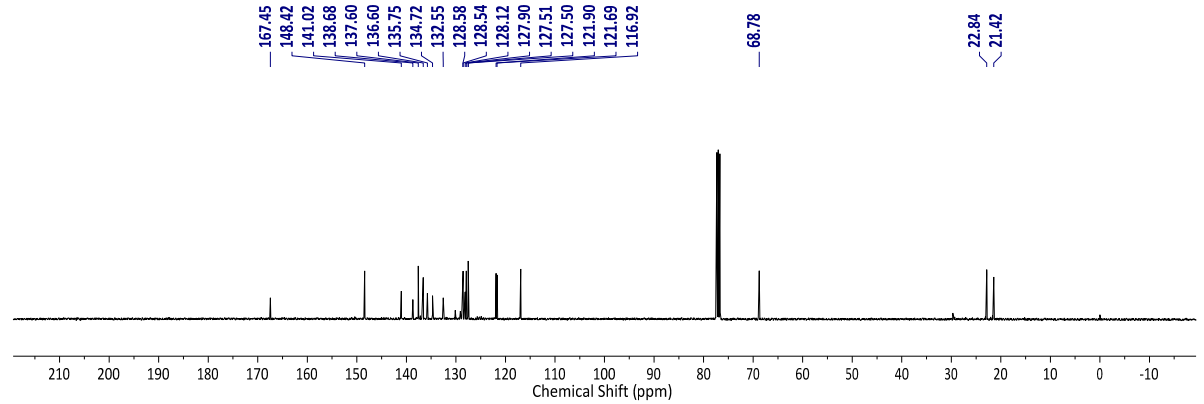


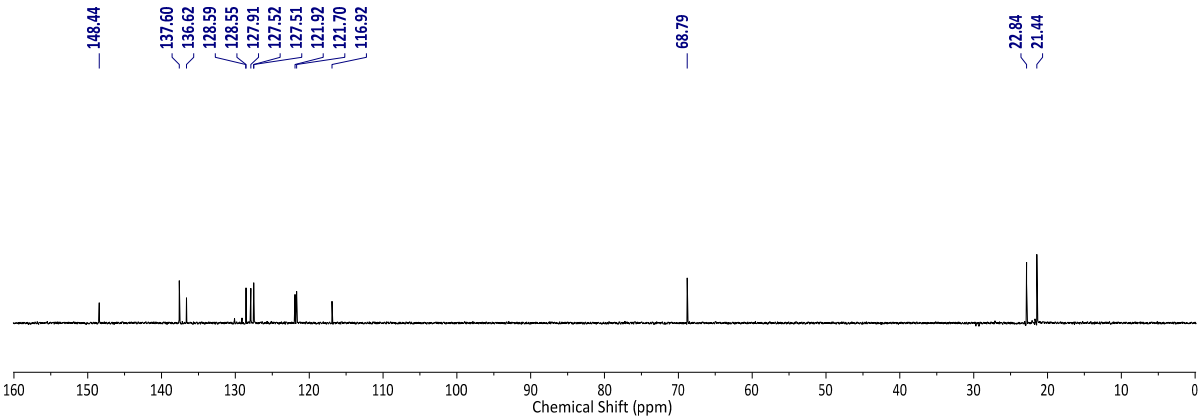




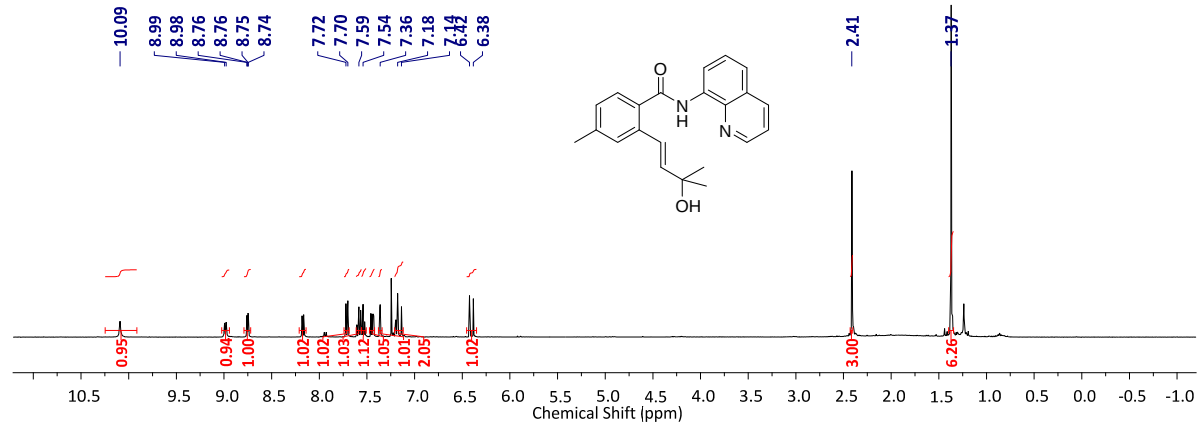
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4fp**

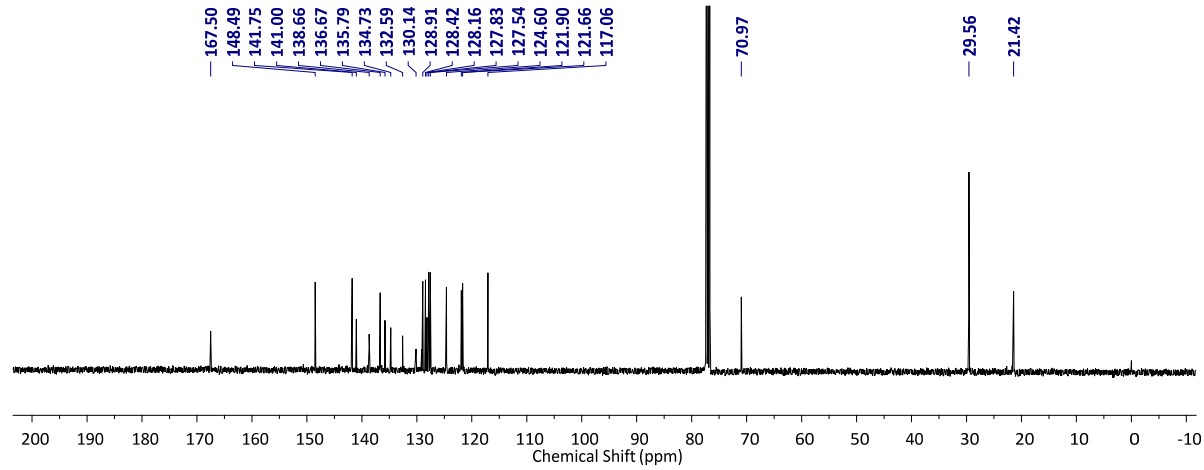


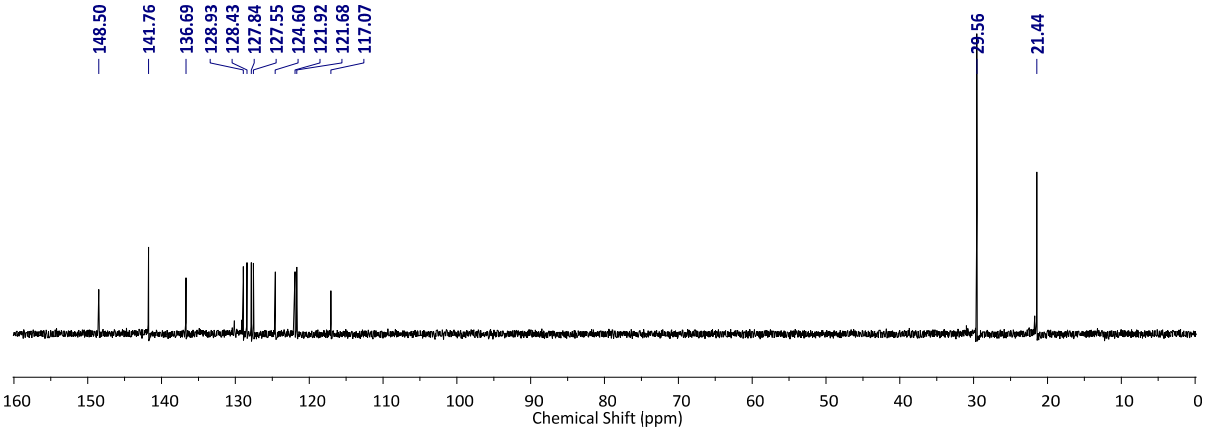




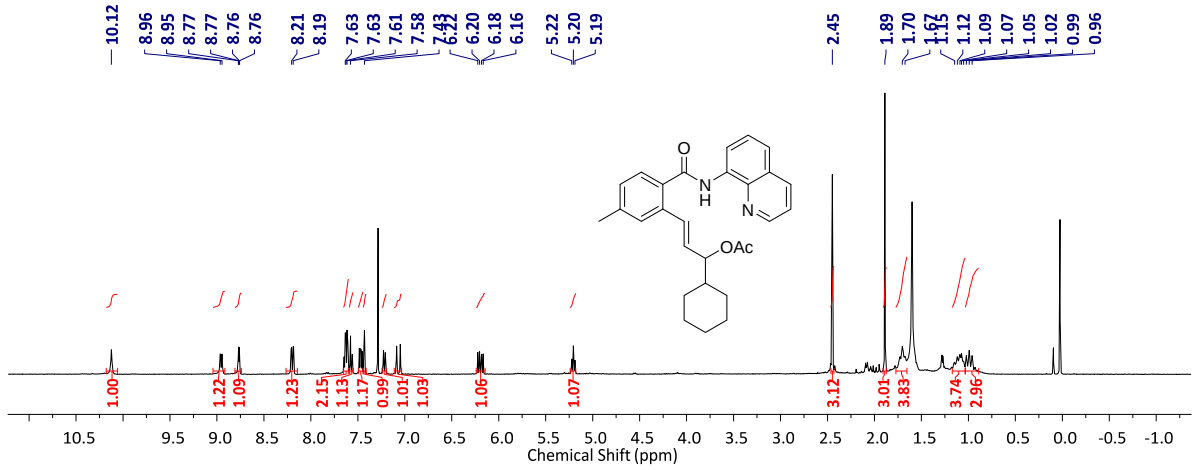
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4fq**

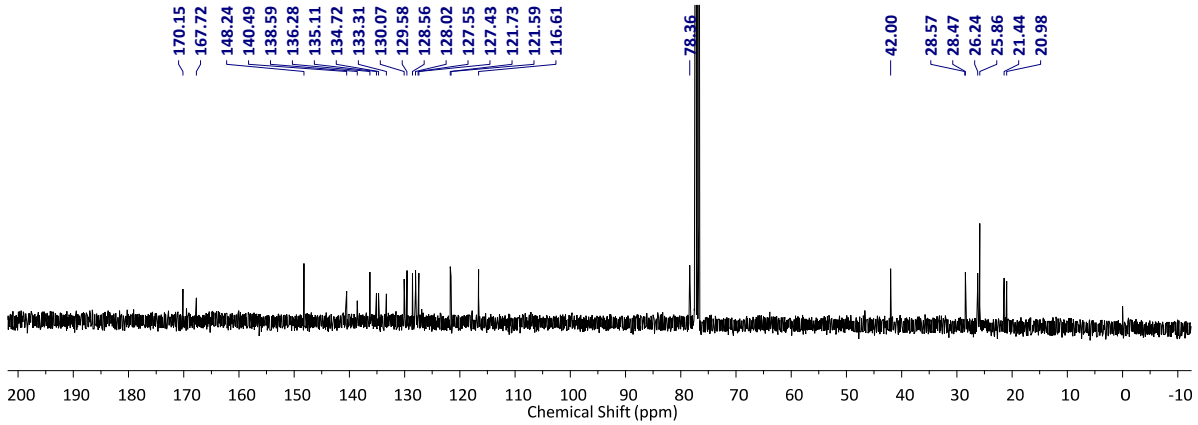


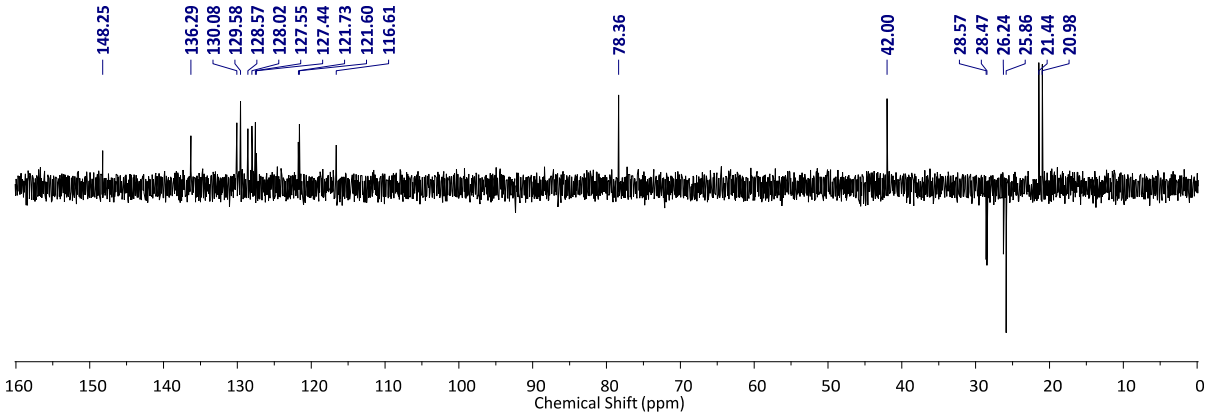




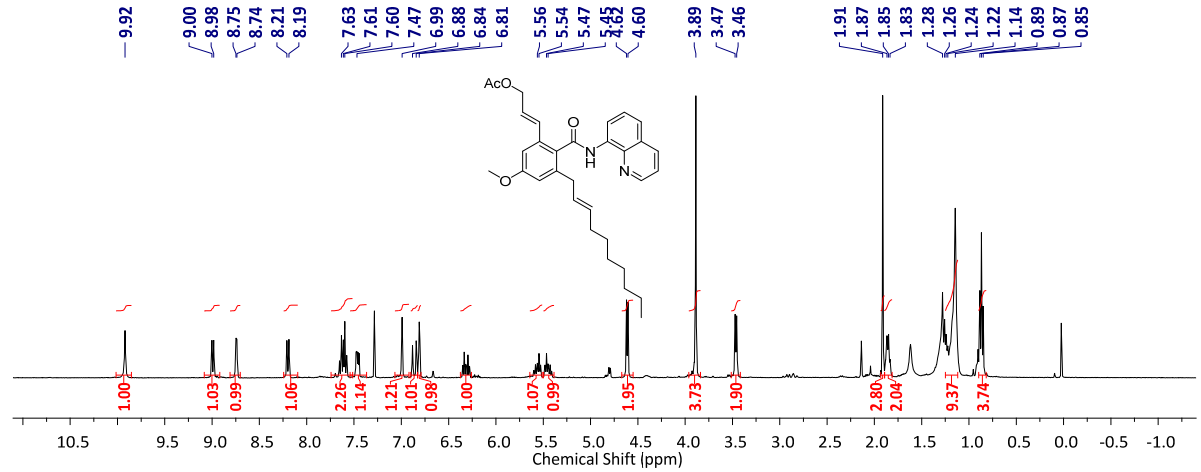
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **4fr**

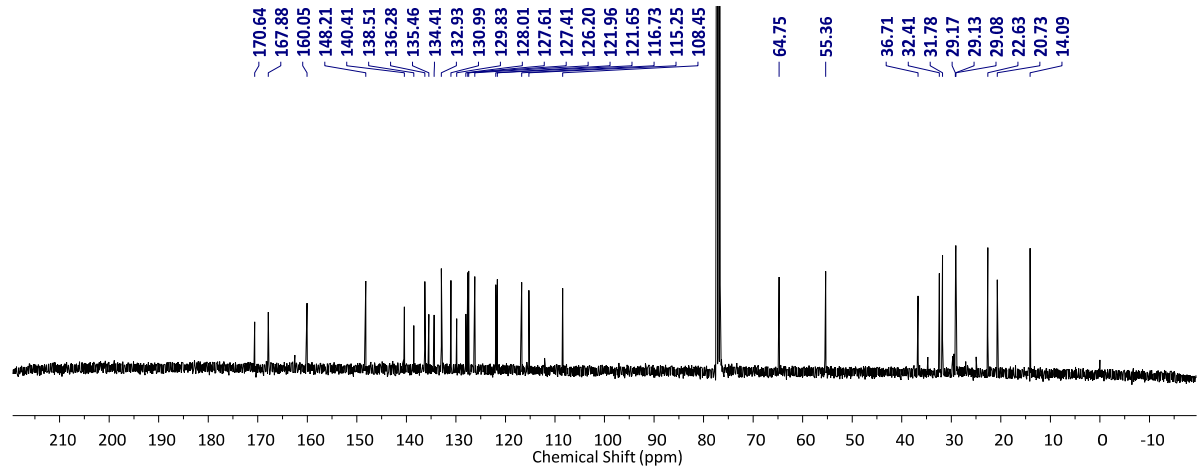


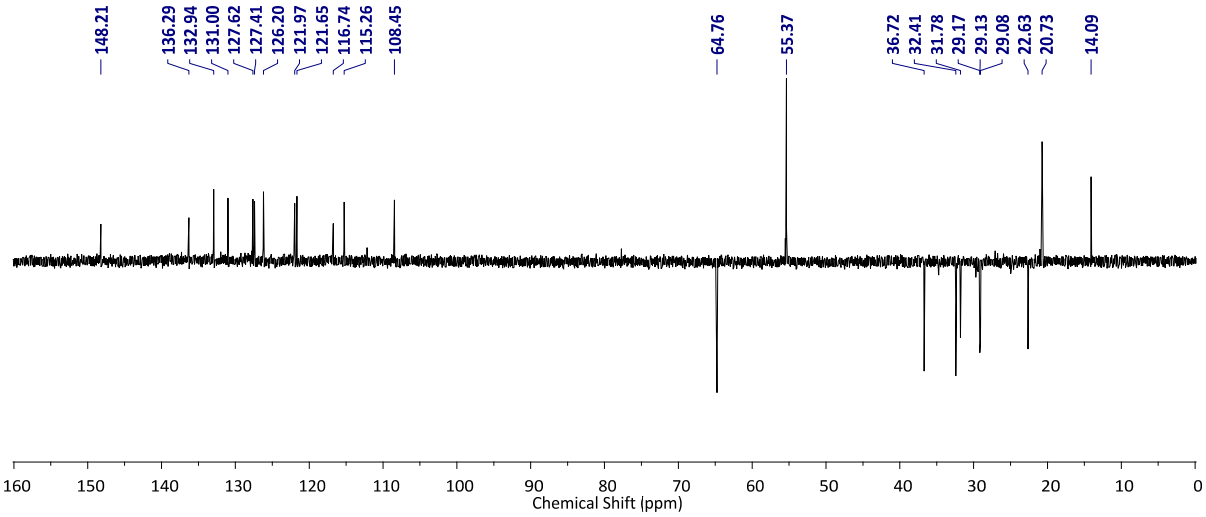




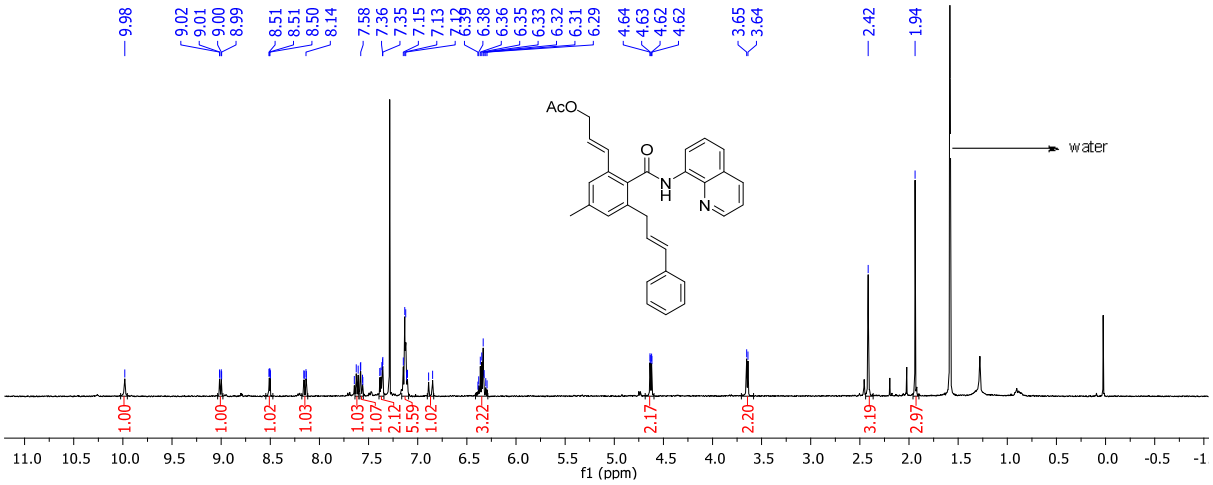
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **5a**

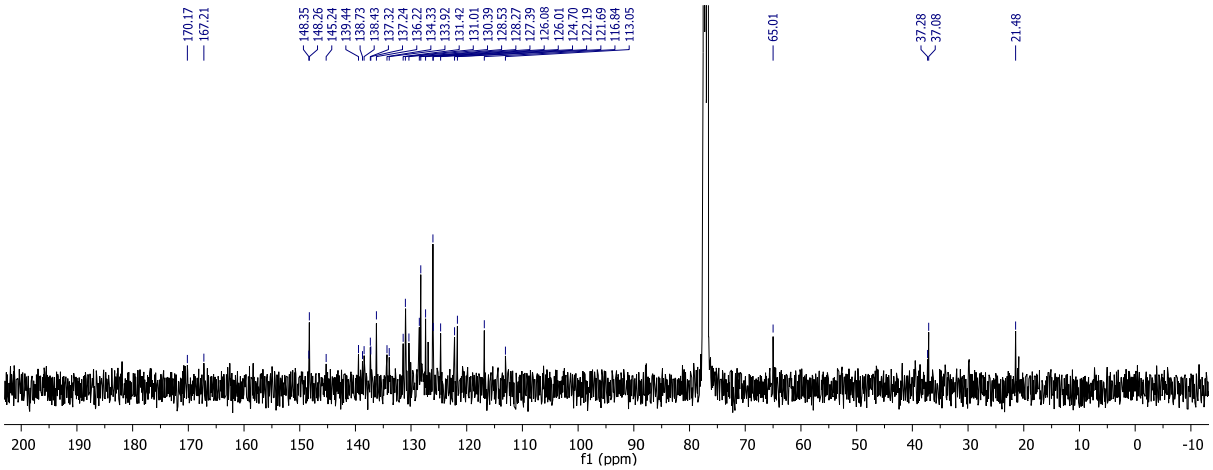


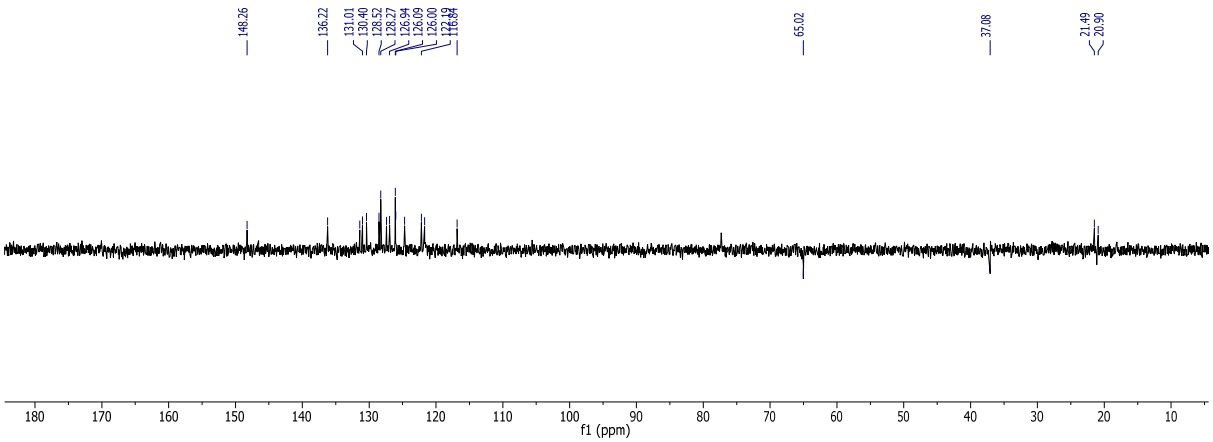




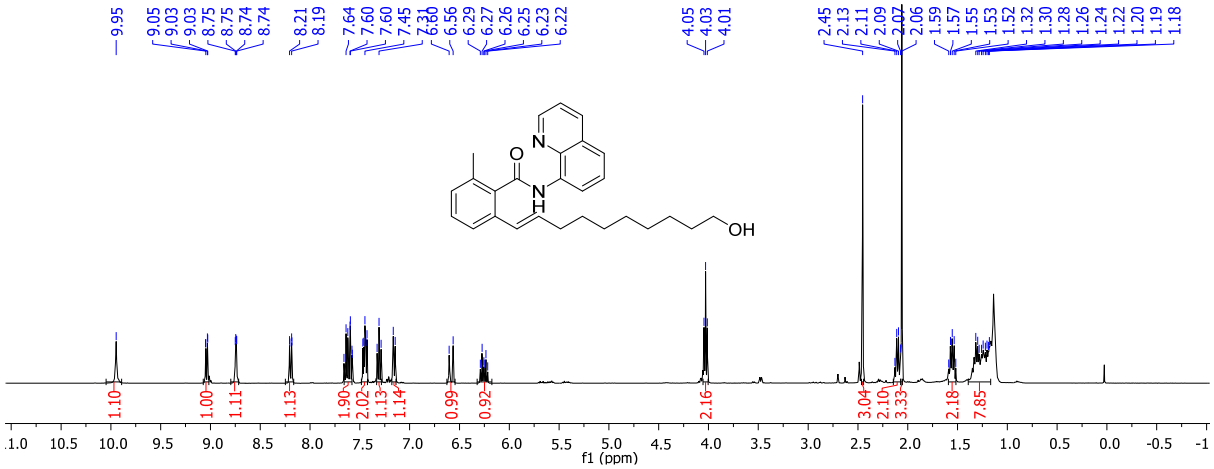
Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **5b**

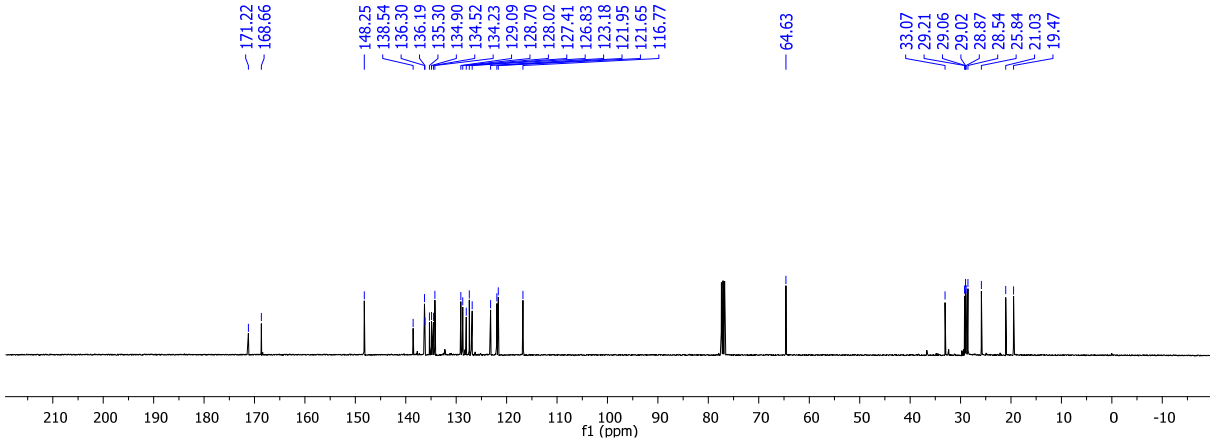


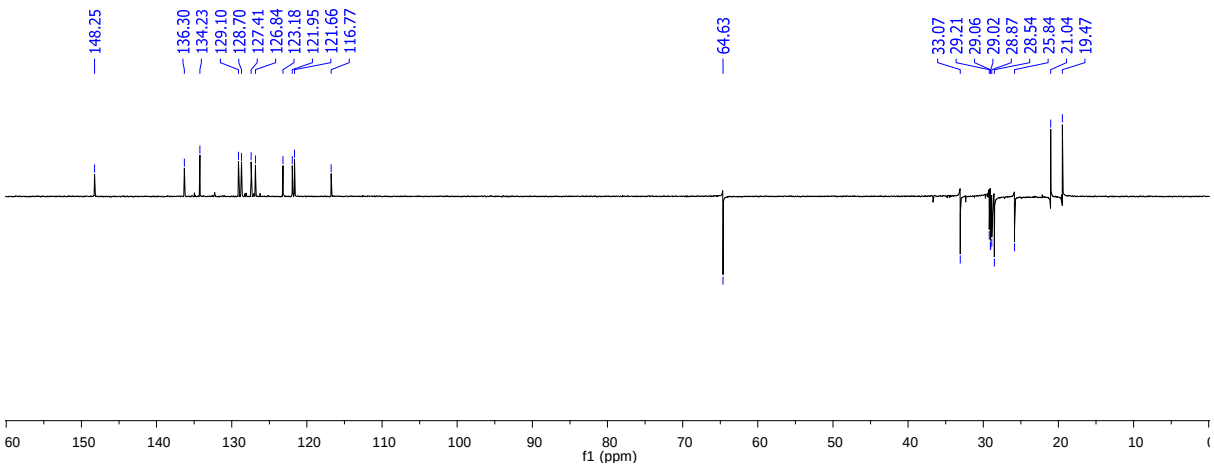




Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **6**







Copies of H^1, C^{13} and DEPT 135 NMR spectra of compound **10**