

## Electronic Supporting Information

### Copper catalyzed amidation of aryl halides through chelation assistance

Subban Kathiravan,<sup>a</sup> Shishir Ghosh,<sup>b</sup> Graeme Hogarth,<sup>b</sup> and Ian A. Nicholls<sup>a,c\*</sup>

<sup>a</sup>Bioorganic and Biophysical Chemistry Laboratory, Linnaeus University Centre for Biomaterials Chemistry, Linnaeus University, SE-391 82, Kalmar, Sweden.

<sup>b</sup>Department of Chemistry, University College London, 20 Gordon Street, London WC1H 0AJ.

<sup>c</sup>Department of Chemistry-BMC, Uppsala University, SE-751 23 Uppsala, Sweden.

#### Abstract



#### Table of Contents

#### Page No.

I. General Methods	S2
II. Experimental Section	S2
III. Reaction Optimization	S3
III. X-ray Crystallographic details	S7
IV. Removal of directing group	S15
V. Spectral Data	S16
VI. Copies of NMR Spectra	S26
VII. Reference	S59

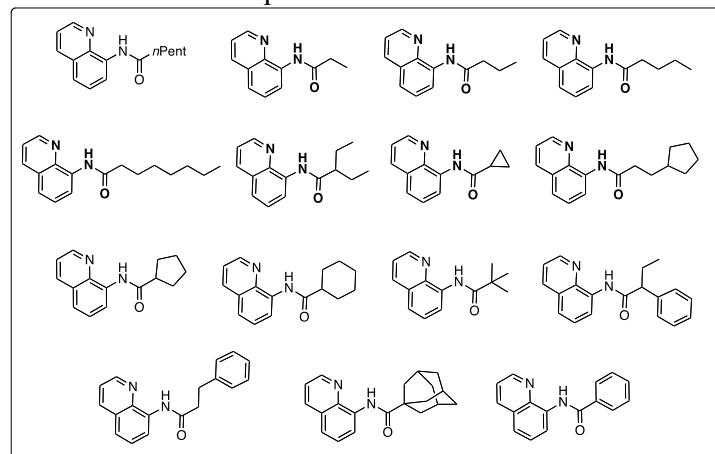
**I. General Methods.** Catalytic reactions were carried out under ambient air. Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. All glassware was dried overnight at 120 °C or flame-dried prior to use. Chromatography was performed on Sigma-Aldrich 160-220 mesh silica gel. Thin-layer chromatography (TLC) was conducted with precoated glass-backed plates and visualized by exposure to UV light (254 nm). Flash chromatography was performed with silica gel (100-120 µm); the eluent used is *petroleum ether* and ethyl acetate. Solvents were used without further purification.  $\text{H}^1$  NMR spectra were recorded on a 500 MHz Varian FT-NMR spectrometer.  $\text{^{13}C}$  NMR spectra were recorded at 125 MHz Varian FT-NMR. Chemical shifts are reported in ppm relative to solvent signal. Multiplicity is indicated as follows: s (singlet); d (doublet); t (triplet); q (quartet); m (multiplet); dd (doublet of doublets). Mass spectra (HRMS) were performed by the Lund University Kemi Centrum Mass Spectrometry Facility. Melting points were determined on a Stuart Scientific melting point apparatus.

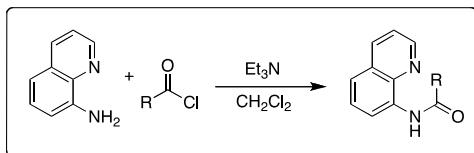
Single crystals were mounted on a nylon loop and X-ray diffraction data were recorded on an Agilent Super Nova Dual Diffractometer (Agilent Technologies Inc, Santa Clara CA) with Cu-K $\alpha$  radiation ( $\lambda = 1.5418 \text{ \AA}$ ) at 150 K. Unit cell determination, data reduction and absorption corrections were carried out using CrysAlisPro<sup>1</sup>. The structure was solved by direct methods and refined by full matrix least squares on the basis of F<sup>2</sup> using SHELX 2008<sup>2</sup> within the OELX2 GUI.<sup>3</sup> Non-hydrogen atoms were refined anisotropically and hydrogen atoms were included using a riding model.

## II. Experimental Section

### Preparation of carboxamides

All amides bearing an 8-aminoquinoline moiety were prepared by the reaction of the corresponding acid chlorides with 8-aminoquinoline.<sup>4</sup>





To a oven-dried 100 mL three-necked flask, 8-aminoquinoline (1.0 equiv.), Et<sub>3</sub>N (2 equiv.) and DCM (30 mL) were added. A solution of the acid chloride (2 equiv.) was added dropwise to the solution at 0 °C, and the solution was then warmed to room temperature. After stirring overnight, the reaction system was quenched with sat. aq. NaHCO<sub>3</sub> (30 mL) and the organic layer was separated. The aqueous layer was extracted with DCM (2 x 15mL). The combined organic layers were washed with 1 M HCl aq. (30 mL) and brine (30 mL), dried over MgSO<sub>4</sub>, filtered and evaporated *in vacuo*. The resulting crude amide was purified by column chromatography on silica gel (eluent: petroleum ether /EtOAc = 5/1) to afford the desired amide.

## SI Reaction Optimization

Initial model reaction studies were conducted using carboxamide **1a**, phenyl bromide **2a** and 10 mol% of Cu(SO<sub>3</sub>CF<sub>3</sub>)<sub>2</sub>, and different bases in toluene at 120°C for 24h in the presence of different ligands (**L1-L14**). The application of bidentate nitrogen containing ligands (**L1-L3**) resulted in either poor conversion or decomposition of the starting material, as did ligands (**L4-L7**) containing a biphenylphosphine backbone. Furthermore, diamine ligands (**L8-L9**) also proved to be catalytically inert. However, the use of *N*-heterocyclic carbenes (**L10-L12**), the amino acid (**L13**) and phosphoric acid (**L14**) ligands gave the product **3a** in 5-15% yield. To our surprise the best results were obtained when we carried out the reaction in the absence of external ligands. Next we screened a series of solvents; toluene, DMA, DMF, mixture of DMF and DMSO (1:1, v/v), 1,4-dioxane, and THF (entry 1-5), but all the tested solvents except toluene were found to be ineffective for C-N bond formation. The results in entry 6 show that the reaction is most favourable in toluene, presumably because of the higher solubility of the copper catalyst. Next we studied the influence of different bases (entry 7-11) and found that Cs<sub>2</sub>CO<sub>3</sub> afforded **3a** in 92% yield. For further optimization we decided to investigate the effect of different copper complexes on the amidation reaction (entry 12-14), lower conversions and yields were obtained when copper iodide, copper acetate, copper oxide (5 nm) was used. The use of Pd (II) catalysts led to the lower conversion and gave the product in lower yields (entry 15-16). To exclude the possibility that this reaction was promoted by simple base catalysis, we also studied the model reaction in the

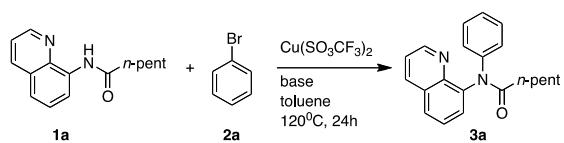
absence of copper catalyst, though did not observe any desired product (entry 17).

**SI Table 1.** Catalytic amidation of arylhalides

entry	Catalyst	base	solvent	yield (%) <sup>b</sup>
1	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	DMA	4
2	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	DMF	10
3 <sup>c</sup>	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	DMF+DMSO	5
4	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	1,4-dioxane	8
5	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	THF	NR
6	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	Toluene	92
7	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Na}_2\text{CO}_3$	Toluene	12
8	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Na(OAc)}_2$	Toluene	19
9	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{K}_3\text{PO}_4$	Toluene	11
10	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs(OPIV)}_2$	Toluene	15
11	$\text{Cu}(\text{SO}_3\text{CF}_3)_2$	$\text{Cs}_2\text{CO}_3$	Toluene	NR
12	CuI	$\text{Cs}_2\text{CO}_3$	Toluene	34
13	$\text{Cu(OAc)}_2$	$\text{Cs}_2\text{CO}_3$	Toluene	20
14	$\text{Cu}_2\text{O}$	$\text{Cs}_2\text{CO}_3$	Toluene	10
15 <sup>d,e</sup>	$\text{Pd}(\text{OCOCH}_3)_2$	$\text{Cs}_2\text{CO}_3$	Toluene	5
16 <sup>e</sup>	$\text{PdCl}_2(\text{PPh}_3)_2$	$\text{Cs}_2\text{CO}_3$	Toluene	9
17 <sup>f</sup>	no catalyst	$\text{Cs}_2\text{CO}_3$	Toluene	0

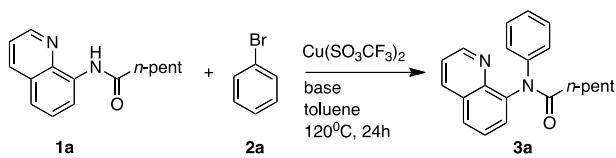
Reaction conditions<sup>a</sup>: **1a** (1.0 equiv.), **2a** (2.0 equiv.)  $\text{Cu}(\text{OTf})_2$  (10 mol%), base (3.0 equiv.) solvent (1 mL), at  $120^\circ\text{C}$ , 24h; <sup>b</sup>isolated yield; <sup>c</sup>(1:1) ratio; <sup>d,e</sup>10 mol% catalyst used; <sup>f</sup>The reaction was carried out with 5 equiv. of base.

**SI Table 2: Detailed Screening of Solvents**



Entry	Solvent	Yield (%)	Entry	Solvent	Yield (%)
1	DMA	4	6	Xylene	NR
2	DMF	10	7	DMSO	10
3	DMF+DMSO	5	8	Mesitylene	12
4	THF	NR	9	Toluene	92
5	2-Me THF	14	10	1,4-dioxane	trace

**SI Table 3: Detailed Screening of Bases**

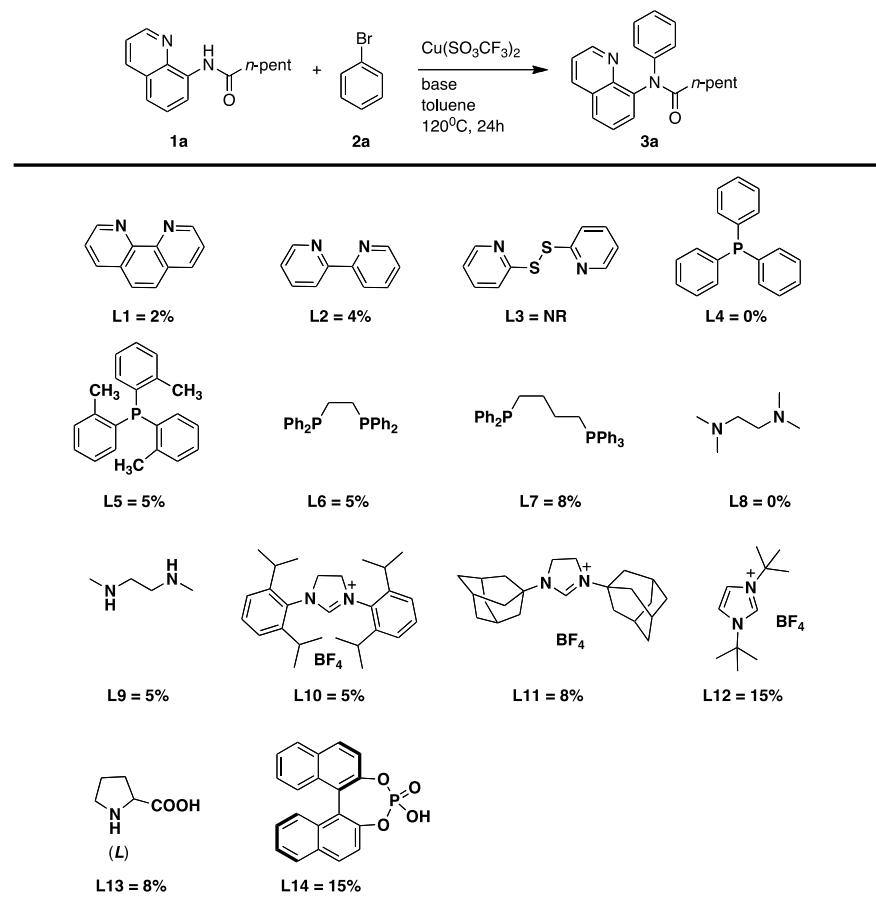


Entry	Base	Yield (%)
1	Na <sub>2</sub> CO <sub>3</sub>	12
2	K <sub>3</sub> PO <sub>4</sub>	11
3	Cs(O <sup>t</sup> Piv) <sub>2</sub>	15
4	Na(OAc) <sub>2</sub>	19
5	Cs <sub>2</sub> CO <sub>3</sub>	85
6	Na <sub>2</sub> HPO <sub>4</sub>	NR
7	LiO <sup>t</sup> Bu	trace
8	NaO <sup>t</sup> Bu	trace
9	KO <sup>t</sup> Bu	NR
10	NaOMe	NR

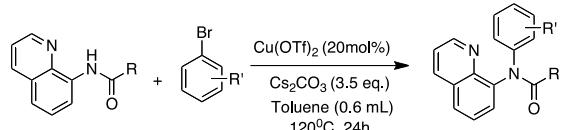
**SI Table 4: Detailed Screening of aryl coupling partners**

Other Aryl coupling partners							
Yield (%)	19	10	80	2	5	trace	10

**SI Table 5: Detailed Screening of ligands**



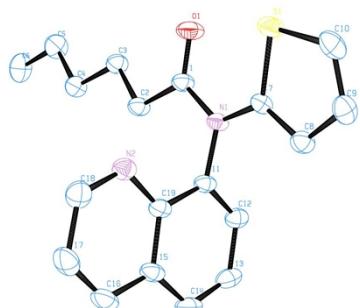
**General Procedure for Direct Amidation: Cu-Catalyzed Amidation of arylhalides **1a** with quinoline carboxamides**



To an oven-dried 5 mL screw-capped vial in air, *N*-(quinolin-8-yl)pentanamide **1a** (104 mg, 0.3 mmol), 4-bromoanisole (140 mg, 0.6 mmol),  $\text{Cu}(\text{OTf})_2$  (10.6 mg, 0.03 mmol),  $\text{Cs}_2\text{CO}_3$  (64 mg, 0.9 mmol) and toluene (0.6 mL) were added. The mixture was stirred for 24 h at  $120^\circ\text{C}$  followed by cooling. 30 mL of water was added to the reaction mixture and the aqueous layer was extracted with ethyl acetate (3 x 10 mL). The combined organic layers were washed with and brine (20 mL), dried over  $\text{MgSO}_4$ , filtered and evaporated *in vacuo*. The residue was purified by column chromatography on silica gel (eluent: hexane/EtOAc= 20/80) to afford the desired amidation product **1b** (112 mg, 83%) as a yellow liquid.

### III. X-ray Crystallographic details

#### 1. X-ray structure details of compound 3m



Identification code	xstr0259
Empirical formula	C <sub>19</sub> H <sub>20</sub> N <sub>2</sub> OS
Formula weight	324.45
Temperature/K	150.02(10)
Crystal system	monoclinic
Space group	P2 <sub>1</sub> /c
a/Å	16.8737(3)
b/Å	9.68667(17)
c/Å	10.28958(19)
α/°	90
β/°	96.9165(17)
γ/°	90
Volume/Å <sup>3</sup>	1669.59(5)
Z	4
ρ <sub>calc</sub> mg/mm <sup>3</sup>	1.2907
m/mm <sup>-1</sup>	1.759
F(000)	691.2
Crystal size/mm <sup>3</sup>	0.3156 × 0.2639 × 0.2395
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection	10.54 to 148.36°
Index ranges	-20 ≤ h ≤ 20, -10 ≤ k ≤ 11, -9 ≤ l ≤ 12
Reflections collected	6145
Independent reflections	3226 [R <sub>int</sub> = 0.0266, R <sub>sigma</sub> = 0.0322]
Data/restraints/parameters	3226/0/208
Goodness-of-fit on F <sup>2</sup>	1.052
Final R indexes [I>=2σ (I)]	R <sub>1</sub> = 0.0443, wR <sub>2</sub> = 0.1169
Final R indexes [all data]	R <sub>1</sub> = 0.0484, wR <sub>2</sub> = 0.1232
Largest diff. peak/hole / e Å <sup>-3</sup>	0.37/-0.30

Table 1 Fractional Atomic Coordinates ( $\times 10^4$ ) and Equivalent Isotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for xstr0259.  $U_{\text{eq}}$  is defined as 1/3 of the trace of the orthogonalised  $U_{\text{IJ}}$  tensor.

<b>Atom</b>	<b>x</b>	<b>y</b>	<b>z</b>	<b>U(eq)</b>
S1	8801.0(2)	2573.8(4)	7633.9(4)	32.98(16)
O1	7684.3(7)	2981.2(12)	5654.3(11)	34.9(3)
N1	8259.7(7)	891.9(12)	5540.0(11)	21.8(3)
N2	7210.0(7)	-926.5(13)	6504.6(12)	25.9(3)
C1	7681.3(9)	1852.7(15)	5133.0(14)	24.5(3)
C2	7059.8(9)	1435.7(15)	4015.5(14)	26.0(3)
C3	6582.3(10)	2663.9(15)	3423.3(15)	27.7(3)
C4	5933.9(10)	2241.5(17)	2336.8(16)	29.7(3)
C5	5444.8(11)	3463.6(19)	1770.4(17)	38.9(4)
C6	4813.5(11)	3079(2)	643.2(17)	44.5(5)
C7	8821.8(8)	1127.3(14)	6650.9(13)	22.3(3)
C8	9417.7(9)	244.8(16)	7128.1(14)	26.7(3)
C9	9856.6(9)	729.1(17)	8300.4(15)	30.9(3)
C10	9588.7(10)	1955.6(18)	8692.7(15)	34.7(4)
C11	8214.2(8)	-516.5(14)	5092.5(13)	22.4(3)
C12	8696.9(9)	-970.0(16)	4209.7(14)	26.5(3)
C13	8711.4(11)	-2384.1(16)	3866.7(16)	31.4(4)
C14	8244.6(10)	-3315.2(16)	4428.2(15)	31.7(4)
C15	7729.9(9)	-2867.0(15)	5331.2(15)	27.3(3)
C16	7227.1(10)	-3772.6(16)	5941.5(17)	34.9(4)
C17	6744.1(10)	-3250.9(18)	6788.8(18)	37.5(4)
C18	6753.9(10)	-1819.9(18)	7031.5(16)	32.4(4)
C19	7701.0(8)	-1444.8(14)	5662.0(13)	22.5(3)

Table 2 Anisotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for xstr0259. The Anisotropic displacement factor exponent takes the form:  $-2\pi^2[h^2a^*{}^2U_{11}+2hka^*b^*U_{12}+\dots]$

<b>Atom</b>	<b>U<sub>11</sub></b>	<b>U<sub>22</sub></b>	<b>U<sub>33</sub></b>	<b>U<sub>12</sub></b>	<b>U<sub>13</sub></b>	<b>U<sub>23</sub></b>
S1	36.8(3)	25.4(2)	34.0(2)	1.23(14)	-7.03(17)	-10.81(14)
O1	41.7(7)	20.9(6)	38.7(6)	7.9(5)	-9.1(5)	-7.4(5)
N1	27.0(6)	15.6(6)	22.0(6)	0.4(4)	-1.1(5)	0.0(4)
N2	28.1(6)	22.3(6)	26.3(6)	2.0(5)	-0.6(5)	2.0(5)
C1	29.3(7)	16.8(7)	26.6(7)	2.0(5)	0.2(6)	0.7(5)
C2	29.5(7)	19.8(7)	27.0(7)	2.0(6)	-3.4(6)	-0.8(6)
C3	30.0(8)	22.5(7)	29.2(8)	3.3(6)	-2.2(6)	1.6(6)
C4	31.4(8)	28.2(8)	27.9(7)	1.0(6)	-2.3(6)	2.4(6)
C5	35.8(9)	36.3(9)	41.5(9)	3.9(7)	-7.6(7)	7.3(7)
C6	34.3(9)	59.5(12)	37.5(9)	3.3(8)	-4.7(7)	12.3(9)
C7	25.9(7)	18.7(7)	21.7(6)	-2.9(5)	1.0(5)	-0.2(5)
C8	30.1(7)	21.9(7)	27.6(7)	-0.9(6)	0.7(6)	1.6(6)
C9	29.5(8)	33.4(8)	27.9(7)	-3.7(6)	-4.0(6)	6.2(6)
C10	37.5(9)	36.1(9)	28.0(8)	-8.2(7)	-6.6(6)	-4.1(7)
C11	27.3(7)	16.9(7)	21.3(6)	2.8(5)	-4.6(5)	-0.9(5)
C12	31.4(8)	23.5(7)	23.8(7)	3.7(6)	-0.4(6)	0.9(6)
C13	37.3(9)	28.5(8)	27.2(7)	10.8(6)	-0.7(6)	-6.4(6)

C14	39.1(8)	17.6(7)	35.0(8)	6.9(6)	-9.0(6)	-8.1(6)
C15	31.1(8)	16.8(7)	30.8(7)	1.5(6)	-9.8(6)	0.7(6)
C16	36.7(9)	16.9(7)	47.5(9)	-2.2(6)	-9.5(7)	5.3(7)
C17	33.4(8)	27.6(8)	49.8(10)	-5.1(7)	-2.6(7)	14.8(7)
C18	30.7(8)	31.8(9)	34.8(8)	2.7(6)	3.4(6)	7.6(7)
C19	25.9(7)	16.8(7)	22.4(6)	2.3(5)	-6.5(5)	1.8(5)

Table 3 Bond Lengths for xstr0259.

<b>Atom</b>	<b>Atom</b>	<b>Length/Å</b>	<b>Atom</b>	<b>Atom</b>	<b>Length/Å</b>
S1	C7	1.7310(14)	C7	C8	1.365(2)
S1	C10	1.7215(17)	C8	C9	1.417(2)
O1	C1	1.2174(19)	C9	C10	1.350(2)
N1	C1	1.3768(18)	C11	C12	1.364(2)
N1	C7	1.4132(17)	C11	C19	1.423(2)
N1	C11	1.4389(17)	C12	C13	1.415(2)
N2	C18	1.318(2)	C13	C14	1.370(2)
N2	C19	1.3649(19)	C14	C15	1.414(2)
C1	C2	1.5147(19)	C15	C16	1.418(2)
C2	C3	1.522(2)	C15	C19	1.421(2)
C3	C4	1.523(2)	C16	C17	1.360(3)
C4	C5	1.519(2)	C17	C18	1.408(3)
C5	C6	1.524(2)			

Table 4 Bond Angles for xstr0259.

<b>Atom</b>	<b>Atom</b>	<b>Atom</b>	<b>Angle/°</b>	<b>Atom</b>	<b>Atom</b>	<b>Atom</b>	<b>Angle/°</b>
C10	S1	C7	91.13(7)	C9	C10	S1	112.19(12)
C7	N1	C1	121.27(12)	C12	C11	N1	120.36(13)
C11	N1	C1	122.34(12)	C19	C11	N1	118.63(12)
C11	N1	C7	114.62(11)	C19	C11	C12	120.85(13)
C19	N2	C18	116.69(13)	C13	C12	C11	120.50(15)
N1	C1	O1	120.51(13)	C14	C13	C12	120.25(15)
C2	C1	O1	122.66(13)	C15	C14	C13	120.30(14)
C2	C1	N1	116.82(12)	C16	C15	C14	123.25(14)
C3	C2	C1	112.34(12)	C19	C15	C14	119.76(14)
C4	C3	C2	112.50(12)	C19	C15	C16	116.99(15)
C5	C4	C3	112.42(14)	C17	C16	C15	119.36(15)
C6	C5	C4	113.53(16)	C18	C17	C16	118.96(15)
N1	C7	S1	122.98(11)	C17	C18	N2	124.65(15)
C8	C7	S1	111.26(11)	C11	C19	N2	118.38(13)
C8	C7	N1	125.69(13)	C15	C19	N2	123.34(13)
C9	C8	C7	112.68(14)	C15	C19	C11	118.29(13)
C10	C9	C8	112.72(14)				

Table 5 Hydrogen Atom Coordinates ( $\text{\AA} \times 10^4$ ) and Isotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for xstr0259.

Atom	<i>x</i>	<i>y</i>	<i>z</i>	U(eq)
H12	9018.9(9)	-345.5(16)	3830.1(14)	31.8(4)
H13	9039.1(11)	-2682.3(16)	3258.0(16)	37.6(4)
H14	8266.2(10)	-4246.2(16)	4214.5(15)	38.0(4)
H16	7227.6(10)	-4714.0(16)	5764.2(17)	41.8(5)
H17	6412.7(10)	-3830.1(18)	7201.2(18)	45.1(5)
H18	6414.2(10)	-1481.4(18)	7604.5(16)	38.9(4)
H2a	7322.9(9)	989.0(15)	3340.5(14)	31.2(4)
H2b	6697.6(9)	772.4(15)	4332.8(14)	31.2(4)
H3a	6337.7(10)	3133.3(15)	4106.4(15)	33.2(4)
H3b	6942(1)	3309.0(15)	3073.8(15)	33.2(4)
H4a	6179.6(10)	1793.0(17)	1643.3(16)	35.6(4)
H4b	5581.8(10)	1578.7(17)	2680.5(16)	35.6(4)
H5a	5184.0(11)	3888.1(19)	2459.7(17)	46.7(5)
H5b	5801.9(11)	4142.8(19)	1464.2(17)	46.7(5)
H6a	5069.9(11)	2757(14)	-84(5)	66.7(7)
H6b	4479(6)	2362(11)	920(5)	66.7(7)
H6c	4494(6)	3875(4)	383(9)	66.7(7)
H8	9524.0(9)	-584.1(16)	6727.3(14)	32.1(4)
H9	10283.1(9)	253.3(17)	8750.9(15)	37.0(4)
H10	9804.8(10)	2413.6(18)	9447.6(15)	41.7(4)

## 2. X-ray Structure details of compound 3o

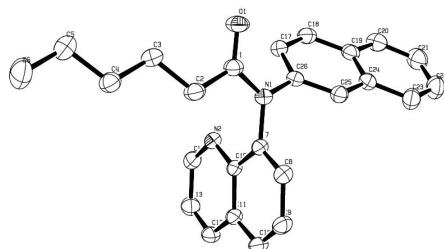


Table 1 Crystal data and structure refinement for xstr0256

Identification code	xstr0256
Empirical formula	C <sub>25</sub> H <sub>24</sub> N <sub>2</sub> O
Formula weight	368.48
Temperature/K	150.00(10)
Crystal system	triclinic

Space group	P-1
a/Å	9.4910(4)
b/Å	9.5574(3)
c/Å	10.9648(4)
$\alpha/^\circ$	91.766(3)
$\beta/^\circ$	93.926(3)
$\gamma/^\circ$	97.631(3)
Volume/Å <sup>3</sup>	982.69(6)
Z	2
$\rho_{\text{calc}}$ mg/mm <sup>3</sup>	1.2452
m/mm <sup>-1</sup>	0.593
F(000)	393.1
Crystal size/mm <sup>3</sup>	0.5911 $\times$ 0.4497 $\times$ 0.3462
Radiation	Cu K $\alpha$ ( $\lambda = 1.54184$ )
2 $\Theta$ range for data collection	11.98 to 148.06°
Index ranges	-11 $\leq$ h $\leq$ 11, -6 $\leq$ k $\leq$ 11, -13 $\leq$ l $\leq$ 13
Reflections collected	6341
Independent reflections	3716 [R <sub>int</sub> = 0.0200, R <sub>sigma</sub> = 0.0214]
Data/restraints/parameters	3716/0/253
Goodness-of-fit on F <sup>2</sup>	1.061
Final R indexes [I $>= 2\sigma$ (I)]	R <sub>1</sub> = 0.0402, wR <sub>2</sub> = 0.1047
Final R indexes [all data]	R <sub>1</sub> = 0.0431, wR <sub>2</sub> = 0.1069
Largest diff. peak/hole / e Å <sup>-3</sup>	0.20/-0.15

Table 1 Fractional Atomic Coordinates ( $\times 10^4$ ) and Equivalent Isotropic Displacement Parameters (Å<sup>2</sup> $\times 10^3$ ) for xstr0256. U<sub>eq</sub> is defined as 1/3 of the trace of the orthogonalised U<sub>ij</sub> tensor.

Atom	x	y	z	U(eq)
O1	3716.7(8)	6766.4(9)	4577.4(7)	37.4(2)
N1	6129.0(9)	7114.7(9)	4817.4(8)	27.4(2)
N2	7465.3(9)	9663.3(9)	4063.9(8)	28.7(2)
C1	4861.7(11)	6807.9(11)	4119.8(10)	29.3(2)
C2	4962.2(11)	6505.9(12)	2768.6(10)	33.2(3)
C3	3586.3(11)	6661.3(12)	2012.7(10)	31.6(2)
C4	3685.4(12)	6371.8(12)	653.4(10)	35.0(3)
C5	2326.0(13)	6555.0(13)	-114.5(11)	40.2(3)
C6	2440.3(17)	6249.5(18)	-1466.4(13)	59.1(4)
C7	7492.9(10)	7177.6(11)	4307.4(9)	27.1(2)
C8	8151.6(11)	5997.7(12)	4212.1(10)	32.1(2)
C9	9546.1(12)	6100.2(13)	3802.8(10)	35.1(3)
C10	10244.0(11)	7368.7(13)	3504.3(10)	34.2(3)
C11	9573.8(10)	8603.0(12)	3570.7(9)	29.0(2)

C12	10241.2(11)	9946.0(13)	3273.5(10)	34.2(3)
C13	9528.4(12)	11083.7(12)	3377.7(10)	35.7(3)
C14	8138.3(11)	10893.4(12)	3779.3(10)	32.3(2)
C15	8174.1(10)	8518.4(11)	3972.4(8)	26.1(2)
C17	5423.2(11)	8740.7(11)	6391.5(10)	29.3(2)
C18	5567.1(11)	9290.2(11)	7564.5(10)	31.5(2)
C19	6489.6(11)	8786.2(11)	8471.5(10)	30.6(2)
C20	6735.2(12)	9398.7(13)	9674.7(10)	37.8(3)
C21	7656.1(14)	8901.2(14)	10514.7(11)	44.5(3)
C22	8382.7(14)	7764.1(15)	10197.3(11)	45.8(3)
C23	8176.4(13)	7151.0(13)	9045.0(11)	38.6(3)
C24	7228.4(11)	7642.5(11)	8150.8(10)	30.1(2)
C25	7031.5(11)	7064.2(11)	6934.5(10)	29.0(2)
C26	6174.2(10)	7614.4(11)	6072.3(9)	26.7(2)

Table 2 Anisotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for xstr0256. The Anisotropic displacement factor exponent takes the form:  $-2\pi^2[h^2a^*{}^2U_{11} + 2hka^*b^*U_{12} + \dots]$

Atom	U <sub>11</sub>	U <sub>22</sub>	U <sub>33</sub>	U <sub>12</sub>	U <sub>13</sub>	U <sub>23</sub>
O1	23.4(4)	48.7(5)	39.4(4)	0.6(3)	7.9(3)	-2.1(3)
N1	22.2(4)	32.8(4)	27.9(4)	4.9(3)	4.1(3)	3.5(3)
N2	23.4(4)	35.0(5)	28.3(4)	6.4(3)	1.1(3)	3.8(3)
C1	23.7(5)	30.1(5)	34.2(5)	2.6(4)	4.4(4)	2.7(4)
C2	23.1(5)	42.4(6)	34.0(6)	4.3(4)	2.7(4)	-2.4(4)
C3	23.8(5)	33.3(5)	37.4(6)	3.7(4)	1.7(4)	-1.6(4)
C4	28.8(5)	39.4(6)	36.3(6)	4.3(4)	0.3(4)	-0.8(5)
C5	37.0(6)	37.1(6)	45.5(7)	5.8(5)	-6.1(5)	2.1(5)
C6	58.9(9)	72.8(10)	43.6(7)	8.7(7)	-12.4(6)	6.5(7)
C7	21.1(5)	37.5(6)	23.2(5)	6.3(4)	1.2(4)	2.6(4)
C8	29.9(5)	36.1(6)	31.5(5)	8.2(4)	2.4(4)	3.2(4)
C9	31.7(5)	42.5(6)	34.3(6)	17.3(5)	3.6(4)	0.4(4)
C10	22.6(5)	51.5(7)	30.6(5)	11.1(4)	4.5(4)	1.2(5)
C11	21.4(5)	43.2(6)	22.6(5)	5.8(4)	0.5(4)	1.8(4)
C12	22.0(5)	49.5(6)	30.8(5)	1.5(4)	3.6(4)	4.1(5)
C13	29.9(5)	39.2(6)	36.4(6)	-1.2(4)	1.7(4)	5.3(5)
C14	28.3(5)	35.2(6)	33.6(5)	5.4(4)	-0.3(4)	3.8(4)
C15	20.7(5)	37.4(6)	20.4(4)	6.4(4)	-0.6(3)	2.0(4)
C17	24.0(5)	33.0(5)	32.0(5)	5.7(4)	4.4(4)	7.0(4)
C18	26.6(5)	32.3(5)	37.0(6)	5.6(4)	7.7(4)	1.9(4)
C19	25.7(5)	33.7(5)	31.8(5)	-1.0(4)	6.3(4)	3.5(4)
C20	34.4(6)	42.0(6)	36.0(6)	0.5(5)	6.4(5)	-2.9(5)
C21	44.5(7)	55.5(7)	31.0(6)	-0.5(6)	-0.4(5)	-2.9(5)
C22	46.5(7)	54.9(8)	34.7(6)	6.1(6)	-7.2(5)	7.4(5)
C23	39.7(6)	39.6(6)	36.8(6)	7.7(5)	-1.2(5)	7.3(5)

C24	26.9(5)	32.2(5)	30.9(5)	0.9(4)	4.1(4)	6.8(4)
C25	26.8(5)	28.5(5)	32.7(5)	5.0(4)	4.5(4)	5.2(4)
C26	22.0(5)	29.7(5)	28.6(5)	1.3(4)	5.6(4)	5.0(4)

Table 3 Bond Lengths for xstr0256.

Atom	Atom	Length/ $\text{\AA}$	Atom	Atom	Length/ $\text{\AA}$
O1	C1	1.2242(13)	C11	C12	1.4117(16)
N1	C1	1.3727(13)	C11	C15	1.4210(13)
N1	C7	1.4396(12)	C12	C13	1.3606(16)
N1	C26	1.4385(13)	C13	C14	1.4100(15)
N2	C14	1.3183(14)	C17	C18	1.3653(15)
N2	C15	1.3631(13)	C17	C26	1.4156(14)
C1	C2	1.5126(15)	C18	C19	1.4178(15)
C2	C3	1.5248(14)	C19	C20	1.4183(15)
C3	C4	1.5190(15)	C19	C24	1.4226(15)
C4	C5	1.5247(15)	C20	C21	1.3658(18)
C5	C6	1.5160(19)	C21	C22	1.4094(19)
C7	C8	1.3647(15)	C22	C23	1.3667(17)
C7	C15	1.4270(15)	C23	C24	1.4182(15)
C8	C9	1.4185(15)	C24	C25	1.4185(15)
C9	C10	1.3626(17)	C25	C26	1.3680(14)
C10	C11	1.4149(15)			

Table 4 Bond Angles for xstr0256.

Atom	Atom	Atom	Angle/ $^{\circ}$	Atom	Atom	Atom	Angle/ $^{\circ}$
C7	N1	C1	122.74(8)	C14	C13	C12	119.19(10)
C26	N1	C1	121.34(8)	C13	C14	N2	123.94(10)
C26	N1	C7	115.22(8)	C7	C15	N2	118.83(9)
C15	N2	C14	117.35(9)	C11	C15	N2	122.83(9)
N1	C1	O1	121.35(10)	C11	C15	C7	118.34(9)
C2	C1	O1	122.23(9)	C26	C17	C18	119.76(9)
C2	C1	N1	116.41(9)	C19	C18	C17	121.45(10)
C3	C2	C1	112.45(9)	C20	C19	C18	122.75(10)
C4	C3	C2	112.69(9)	C24	C19	C18	118.56(10)
C5	C4	C3	113.14(9)	C24	C19	C20	118.67(10)
C6	C5	C4	112.38(11)	C21	C20	C19	120.96(11)
C8	C7	N1	120.69(9)	C22	C21	C20	120.32(11)
C15	C7	N1	118.30(9)	C23	C22	C21	120.35(11)
C15	C7	C8	120.90(9)	C24	C23	C22	120.77(11)
C9	C8	C7	119.98(10)	C23	C24	C19	118.94(10)
C10	C9	C8	120.75(10)	C25	C24	C19	118.89(9)

C11	C10	C9	120.39(10)	C25	C24	C23	122.12(10)
C12	C11	C10	123.02(9)	C26	C25	C24	120.84(10)
C15	C11	C10	119.59(10)	C17	C26	N1	120.04(9)
C15	C11	C12	117.38(10)	C25	C26	N1	119.37(9)
C13	C12	C11	119.30(10)	C25	C26	C17	120.41(9)

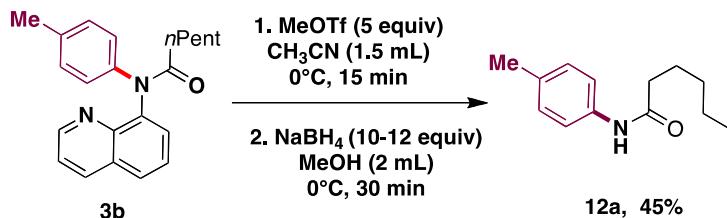
Table 5 Hydrogen Atom Coordinates ( $\text{\AA} \times 10^4$ ) and Isotropic Displacement Parameters ( $\text{\AA}^2 \times 10^3$ ) for xstr0256.

Atom	x	y	z	U(eq)
H25	7491.2(11)	6301.3(11)	6720.5(10)	34.8(3)
H23	8663.4(13)	6402.9(13)	8845.0(11)	46.3(3)
H22	9005.8(14)	7429.1(15)	10774.9(11)	54.9(4)
H21	7804.4(14)	9314.8(14)	11299.8(11)	53.4(4)
H20	6262.4(12)	10149.9(13)	9894.9(10)	45.3(3)
H18	5048.4(11)	10010.9(11)	7773.1(10)	37.8(3)
H17	4834.1(11)	9105.1(11)	5804.2(10)	35.1(3)
H2a	5736.2(11)	7150.1(12)	2481.9(10)	39.9(3)
H2b	5183.8(11)	5551.7(12)	2646.7(10)	39.9(3)
H3a	2814.5(11)	6011.2(12)	2295.6(10)	37.9(3)
H3b	3360.6(11)	7612.8(12)	2141.4(10)	37.9(3)
H4a	4471.9(12)	7007.6(12)	376.1(10)	42.0(3)
H4b	3892.1(12)	5413.4(12)	524.7(10)	42.0(3)
H5a	1536.5(13)	5924.3(13)	164.2(11)	48.2(3)
H5b	2122.8(13)	7515.9(13)	5.2(11)	48.2(3)
H6a	1564(5)	6380(13)	-1912.6(18)	88.7(6)
H6b	3209(8)	6883(9)	-1751(3)	88.7(6)
H6c	2620(13)	5292(4)	-1592.3(18)	88.7(6)
H8	7686.5(11)	5126.7(12)	4415.4(10)	38.5(3)
H9	9989.0(12)	5292.3(13)	3737.5(10)	42.1(3)
H10	11166.3(11)	7423.5(13)	3255.5(10)	41.1(3)
H12	11158.4(11)	10051.9(13)	3009.3(10)	41.1(3)
H13	9952.8(12)	11975.9(12)	3186.4(10)	42.8(3)
H14	7668.1(11)	11683.0(12)	3847.4(10)	38.8(3)

#### IV. Removal of directing group

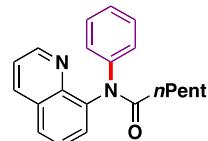
Under  $\text{N}_2$  a 5 mL pressure tube was charged with tertiary amide 3b (20 mg, 1 equiv.) and acetonitrile (1.0 mL) and the resulting solution was cooled to 0°C. Methyl trifluoromethanesulfonate (excess) was added slowly under magnetic stirring. After the complete addition of methyl triflate the ice bath was removed and the reaction mixture was warmed to room temperature and stirring was continued for 15 minutes. The reaction mixture was again cooled to 0°C and MeOH (3 mL) was added followed by sodium borohydride (52 mg, 15 equiv.) (Caution:

strong evolution of H<sub>2</sub> was observed during hydride addition). After complete addition of NaBH<sub>4</sub>, the reaction mixture was further stirred at 0°C for 30 minutes. The solvents were removed in vacuo and the residue was purified by column chromatography on silica gel (eluent: petroleum ether/EtOAc= 90/10) to afford the deprotected amide 12a (45%) as a yellow liquid. Spectral analysis is consistent with the literature.<sup>5</sup>



## V. Spectral Data

### **N-8-quinolinylphenylhexanamide (3a):**

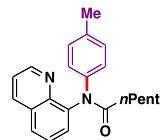


(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.67 (s, 1H), 7.54-7.53 (m, 2H), 7.49-7.48 (m, 1H), 7.36 (s, 1H), 7.27 (d, *J*=10 Hz, 1H), 7.09-7.02 (m, 4H), 6.90-6.87 (m, 1H), 6.77-6.74 (m, 1H), 2.22 (s, 1H), 1.78 (s, 2H), 1.16 (s, 4H), 0.78 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 173.4, 152.1, 146.0, 143.5, 137.3, 131.1, 130.5, 129.3, 127.7, 122.9, 35.3, 32.1, 25.8, 23.1, 14.3.

**HRMS** (ESI+) Calcd for C<sub>21</sub>H<sub>22</sub>N<sub>2</sub>O [M+H]<sup>+</sup> 319.1805; Found 319.1804.

### ***N*-8-quinolinyl-*N*-(4-methylphenyl)hexanamide (3b):**



(*n*-Pentane/Ethylacetate=1/5). Colorless Liquid. **<sup>1</sup>H NMR** (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.64 (s, 1H), 7.43-7.41 (m, 3H), 7.36 (d, *J*=5Hz, 1H), 7.21-7.19 (m, 1H), 7.05-7.02 (m, 2H), 6.82-6.81 (m, 2H), 6.71-6.68 (m, 1H), 2.22 (s, 3H), 2.02 (s, 1H), 1.55 (s, 2H), 1.14 (s, 4H), 0.77 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 172.5, 150.7, 145.7, 142.4, 135.8, 130.0, 129.6, 126.4, 129.1, 128.2, 126.4, 121.5, 35.1, 31.8, 25.6, 22.9, 14.2.

**HRMS** (ESI+) Calcd for C<sub>22</sub>H<sub>24</sub>N<sub>2</sub>O [M+H]<sup>+</sup> 333.1961; Found 333.1961.

**N-8-quinolinyl-N-(4-methoxyphenyl)hexanamide (3c):**



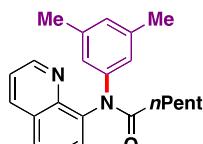
(n-Pentane/Ethylacetate=1/5 Yellow Liquid.

**<sup>1</sup>H NMR** (500MHz, CDCl<sub>3</sub>) δ (ppm): 8.82 (s, 1H), 7.59-7.57 (m, 3H), 7.53-7.52 (m, 1H), 7.36-7.34 (m, 1H), 7.21-7.18 (m, 2H), 6.71-6.69 (m, 2H), 3.31 (s, 3H), 2.38 (s, 1H), 2.17 (s, 1H), 1.89 (s, 2H), 1.27-1.24 (m, 4H), 0.94-0.91 (m, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, CDCl<sub>3</sub>) δ (ppm): 174.5, 151.0, 136.7, 136.1, 129.5, 128.0, 126.5, 121.6, 114.1, 55.3, 31.6, 29.2, 29.0, 25.4, 22.6, 14.1.

**HRMS** (ESI+) Calcd for C<sub>22</sub>H<sub>24</sub>N<sub>2</sub>O<sub>2</sub> [M+H]<sup>+</sup> 349.1911; Found 349.1908.

**N-8-quinolinyl-N-(3,5-dimethylphenyl)hexanamide (3d):**



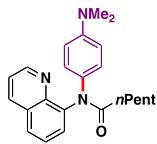
(n-Pentane/Ethylacetate=1/5 Yellow Liquid.

**<sup>1</sup>H NMR** (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.75 (s, 1H), 7.53-7.51 (m, 1H), 7.46 (d, J = 5 Hz, 1H), 7.29-7.28 (m, 3H), 7.12-7.09 (m, 2H), 6.80-6.78 (m, 1H), 6.60 (s, 1H), 2.51 (s, 1H), 2.04 (s, 6H), 1.85 (s, 2H), 1.22 (s, 4H), 0.82 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 172.6, 150.8, 145.6, 144.8, 142.5, 138.3, 135.9, 129.9, 129.7, 129.1, 128.2, 126.4, 121.5, 35.2, 31.8, 25.6, 22.9, 21.1, 14.2.

**HRMS** (ESI+) Calcd for C<sub>23</sub>H<sub>26</sub>N<sub>2</sub>O [M+H]<sup>+</sup> 347.2118; Found 347.2117.

**N-8-quinolinyl-N-(4-N,N-dimethylphenyl)hexanamide (3e):**



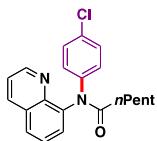
(n-Pentane/Ethylacetate=1/5). Red Liquid.

**<sup>1</sup>H NMR** (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.78 (s, 1H), 7.57-7.52 (m, 4H), 7.31-7.29 (m, 1H), 7.16-7.13 (m, 1H), 6.83-6.81 (m, 1H), 6.42-6.40 (m, 2H), 2.44 (s, 6H), 2.43 (s, 1H), 1.86 (s, 2H), 1.23 (s, 4H), 0.83-0.82 (m, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 173.0, 150.5, 149.2, 145.5, 143.0, 136.0, 134.2, 129.7, 126.4, 121.4, 112.4, 40.1, 34.9, 31.9, 25.6, 22.9, 20.8, 14.2.

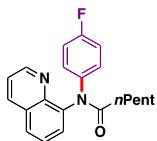
**HRMS** (ESI+) Calcd for C<sub>23</sub>H<sub>26</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 362.2227; Found 362.2225.

**N-8-quinolinyl-N-(4-chlorophenyl)hexanamide (3f):**



(*n*-Pentane/Ethylacetate=1/5). Red Liquid. **<sup>1</sup>H NMR** (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.71 (s, 1H), 7.57-7.55 (m, 1H), 7.41-7.39 (m, 2H), 7.37-7.35 (m, 2H), 7.17-7.15 (m, 2H), 7.05-7.03 (m, 2H), 6.85-6.82 (m, 1H), 2.16 (s, 1H), 1.81 (s, 2H), 1.21 (s, 4H), 0.86 (s, 3H). **<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 173.4, 152.2, 150.9, 145.8, 144.9, 143.9, 137.3, 133.8, 131.2, 130.7, 130.5, 129.7, 129.1, 127.6, 124.2, 123.0, 35.2, 31.9, 25.6, 23.0, 14.1. **HRMS (ESI+)** Calcd for C<sub>21</sub>H<sub>21</sub>ClN<sub>2</sub>O [M+H]<sup>+</sup> 353.1415; Found 353.1413.

### *N-8-quinolinyl-N-(4-fluorophenyl)hexanamide (3g):*

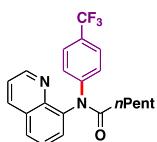


(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.66 (s, 1H), 7.48-7.47 (m, 1H), 7.40-7.39 (m, 2H), 7.27-7.26 (m, 1H), 7.10-7.07 (m, 2H), 6.98 (s, 1H), 6.77-6.74 (m, 1H), 6.69-6.66 (m, 2H), 2.15 (s, 1H), 1.75 (s, 2H), 1.15 (s, 4H), 0.79 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 172.6, 151.0, 145.5, 141.8, 140.6, 136.0, 130.0, 129.7, 129.1, 128.2, 126.5, 125.3, 121.7, 115.3, 35.0, 31.8, 25.4, 22.9, 14.1.

**HRMS (ESI+)** Calcd for C<sub>21</sub>H<sub>21</sub>FN<sub>2</sub>O [M+H]<sup>+</sup> 337.1711; Found 337.1711.

### *N-8-quinolinyl-N-(4-trifluoromethylphenyl)hexanamide (3h):*

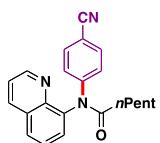


(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 9.02 (s, 1H), 8.47-8.45 (m, 1H), 8.07 (s, 1H), 7.97 (s, 1H), 7.90 (s, 1H), 7.71-7.70 (m, 1H), 7.63-7.62 (m, 2H), 7.49 (s, 2H), 2.13 (s, 1H), 1.57 (s, 3H), 1.14 (s, 4H), 0.77 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 172.8, 151.5, 150.4, 148.7, 144.9, 144.7, 142.5, 140.0, 136.6, 130.6, 130.1, 129.7, 129.1, 127.8, 127.2, 126.9, 125.3, 123.4, 123.0, 122.3, 121.9, 118.4, 117.9, 34.4, 31.0, 29.4, 24.6, 22.1, 13.2.

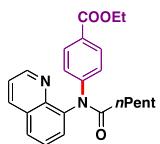
**HRMS (ESI+)** Calcd for C<sub>22</sub>H<sub>21</sub>F<sub>3</sub>N<sub>2</sub>O [M+H]<sup>+</sup> 387.1679; Found 387.1675.

**N-8-quinolinyl-N-(4-benzonitrile)hexanamide (3i):**



(n-Pentane/Ethylacetate=1/5). Yellow Liquid.  $^1\text{H}$  NMR (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.95-8.94 (m, 1H), 8.42-8.37 (m, 1H), 8.06-8.00 (m, 1H), 7.84-7.83 (d, J=5Hz, 1H), 7.70-7.67 (m, 1H), 7.60-7.58 (m, 3H), 7.54 (d, J=5 Hz, 1H), 7.50-7.49 (m, 2H), 7.12-7.11 (m, 1H), 1.55 (s, 2H), 1.13-1.08 (m, 4H), 0.76 (s, 3H).  
 $^{13}\text{C}\{\text{H}\}$  NMR (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 173.8, 152.0, 151.4, 151.3, 148.3, 145.7, 137.1, 133.7, 132.8, 131.0, 130.2, 129.0, 127.4, 122.8, 122.4, 105.2, 35.5, 31.6, 25.2, 22.7, 14.0.  
HRMS (ESI+) Calcd for C<sub>22</sub>H<sub>21</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 344.1763; Found 344.1760.

**N-8-quinolinyl-N-(4-ethylcarboxylate)hexanamide (3j):**



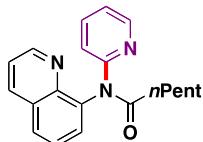
(n-Pentane/Ethylacetate=1/5). Yellow Liquid.  $^1\text{H}$  NMR (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.99 (s, 1H), 8.47 (d, J=10 Hz, 1H), 8.08 (d, J=5 Hz, 1H), 7.92-7.88 (m, 3H), 7.71 (s, 1H), 7.63-7.61 (m, 1H), 7.49 (s, 2H), 4.31-4.27 (m, 2H), 2.91 (s, 2H), 2.12 (s, 1H), 1.57 (s, 2H), 1.33-1.31 (m, 2H), 1.15 (s, 4H), 0.78 (s, 3H).  
 $^{13}\text{C}\{\text{H}\}$  NMR (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 173.5, 166.1, 152.2, 149.0, 145.9, 137.3, 131.3, 130.5, 130.3, 129.8, 127.7, 123.1, 61.2, 35.6, 31.9, 25.5, 22.9, 14.5, 14.1.  
HRMS (ESI+) Calcd for C<sub>24</sub>H<sub>26</sub>N<sub>2</sub>O<sub>3</sub> [M+H]<sup>+</sup> 391.2022; Found 391.2014.

**N-8-quinolinyl-N-5-(1-methylindole)hexanamide (3k):**



(n-Pentane/Ethylacetate=1/5). Yellow Liquid.  $^1\text{H}$  NMR (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.79 (s, 1H), 7.95 (s, 1H), 7.62-7.56 (m, 2H), 7.49-7.48 (m, 1H), 7.24-7.23 (m, 1H), 7.12-7.09 (m, 1H), 6.86-6.84 (m, 1H), 6.78-6.76 (m, 1H), 6.49-6.48 (m, 1H), 6.31 (s, 1H), 2.90 (s, 3H), 2.46 (s, 2H), 1.89-1.88 (m, 2H), 1.24-1.23 (m, 4H), 0.84-0.82 (m, 3H).  
 $^{13}\text{C}\{\text{H}\}$  NMR (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 171.1, 150.5, 145.5, 143.5, 135.8, 135.7, 129.7, 129.4, 129.2, 129.1, 128.2, 127.2, 126.4, 122.7, 121.3, 120.8, 109.5, 101.5, 35.1, 31.9, 25.7, 23.0, 14.2.  
HRMS (ESI+) Calcd for C<sub>24</sub>H<sub>25</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 372.2070; Found 372.2069.

**N-8-quinolinyl-N-(2-pyridine)hexanamide (3l):**

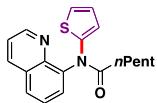


Ethylacetate. Colorless Liquid.  $^1\text{H}$  NMR (500MHz, Methanol-d<sub>4</sub>) δ (ppm): 8.92-8.91 (m, 1H), 8.39-8.37 (m, 1H), 8.29 (s, 1H), 8.00-7.98 (m, 1H), 7.81-7.76 (m, 2H), 7.72 (s, 1H), 7.66-7.62 (m, 1H), 7.56-7.54 (m, 1H), 7.21 (t, *J*=10 Hz, 1H), 2.24 (s, 2H), 1.62-1.61 (m, 2H), 1.18 (s, 4H), 0.82-0.81 (m, 3H).

$^{13}\text{C}\{\text{H}\}$  NMR (125 MHz, Methanol-d<sub>4</sub>) δ (ppm): 176.6, 156.6, 152.3, 149.2, 145.8, 140.0, 139.6, 138.0, 131.7, 131.1, 130.2, 127.8, 123.2, 123.0, 122.9, 36.3, 32.3, 25.9, 23.3, 14.2.

HRMS (ESI+) Calcd for C<sub>20</sub>H<sub>21</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 320.1757; Found 320.1753.

**N-8-quinolinyl-N-(2-thiophene)hexanamide (3m):**



(*n*-Pentane/Ethylacetate=1/5). Black solid. mp-89°C.  $^1\text{H}$  NMR (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.49 (s, 1H), 7.45 (d, *J*=5 Hz, 2H), 7.32-7.30 (m, 2H), 7.24 (s, 1H), 7.10-7.07 (m, 3H), 6.98 (s, 1H), 6.69 (d, *J*=10 Hz, 1H), 6.47-6.46 (m, 1H), 1.70-1.69 (m, 2H), 1.07 (s, 4H), 0.75-0.73 (m, 3H).

$^{13}\text{C}\{\text{H}\}$  NMR (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 151.4, 145.0, 135.7, 130.5, 129.7, 126.5, 121.9, 34.7, 31.6, 25.1, 22.8, 14.0.

HRMS (ESI+) Calcd for C<sub>19</sub>H<sub>20</sub>N<sub>2</sub>OS [M+H]<sup>+</sup> 325.1369; Found 325.1367.

**N-8-quinolinyl-N-(4-diphenylether)hexanamide (3n):**

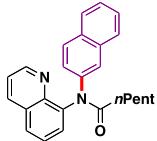


(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-90°C.  $^1\text{H}$  NMR (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.69 (s, 1H), 7.50-7.48 (m, 3H), 7.40 (s, 1H), 7.28-7.26 (m, 1H), 7.12-7.10 (m, 2H), 7.02-6.96 (m, 2H), 6.82-6.75 (m, 6H), 2.22 (s, 1H), 1.79 (s, 2H), 1.17 (s, 4H), 0.80 (s, 3H).

$^{13}\text{C}\{\text{H}\}$  NMR (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 182.0, 160.4, 155.0, 149.7, 149.3, 145.7, 145.4, 145.2, 139.3, 139.1, 135.9, 132.7, 131.0, 44.5, 41.3, 34.9, 32.3, 23.6.

HRMS (ESI+) Calcd for C<sub>27</sub>H<sub>26</sub>N<sub>2</sub>O<sub>2</sub> [M+H]<sup>+</sup> 411.2067; Found 411.2063.

**N-8-quinolinyl-N-(2-naphthalene)hexanamide (3o):**



(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-77°C. **<sup>1</sup>H NMR** (500MHz, Methanol-d<sub>4</sub>) δ (ppm): 9.00 (s, 1H), 8.34 (s, 1H), 7.95 (s, 6H), 7.66-7.56 (m, 4H), 7.45-7.38 (m, 2H), 2.48 (s, 1H), 2.11-2.00 (m, 2H), 1.60 (s, 2H), 1.24-1.13 (m, 2H), 0.79 (s, 3H). **<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Methanol-d<sub>4</sub>) δ (ppm): 176.7, 152.7, 138.0, 134.9, 131.4, 131.1, 130.8, 130.2, 130.0, 129.4, 128.8, 128.5, 128.0, 127.4, 127.2, 126.7, 125.8, 123.4, 61.5, 35.8, 32.4, 26.1, 23.3, 14.2.

**HRMS** (ESI+) Calcd for C<sub>25</sub>H<sub>24</sub>N<sub>2</sub>O [M+H]<sup>+</sup> 369.1961; Found 369.1958.

### *N-8-quinolyl-N-(2-pyridine)propanamide (5a):*

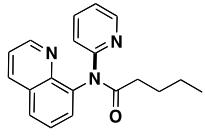


(*n*-Pentane/Ethylacetate=1/5). Colorless Liquid. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.83 (s, 1H), 8.37-8.36 (m, 1H), 8.08 (s, 1H), 7.99-7.97 (m, 1H), 7.92-7.91 (m, 1H), 7.78-7.76 (m, 1H), 7.74-7.69 (m, 1H), 7.66-7.64 (m, 1H), 7.51-7.49 (m, 1H), 7.01-7.00 (m, 1H), 2.12 (s, 2H), 0.97-0.92 (m, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 174.7, 156.7, 151.7, 148.4, 146.1, 140.5, 137.8, 137.1, 131.7, 130.3, 129.3, 127.4, 122.6, 120.9, 120.8, 9.67.

**HRMS** (ESI+) Calcd for C<sub>17</sub>H<sub>15</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 278.1288; Found 278.1288.

### *N-8-quinolyl-N-(2-pyridine)pentanamide (5b):*

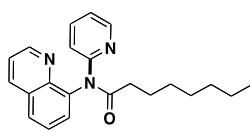


(*n*-Pentane/Ethylacetate=1/5). Colorless solid. mp-59°C. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.89-8.88 (m, 1H), 8.42-8.40 (m, 1H), 8.14 (s, 1H), 8.03-8.01 (m, 1H), 7.91 (s, 1H), 7.81-7.80 (m, 1H), 7.76-7.75 (m, 1H), 7.70-7.67 (m, 1H), 7.56-7.53 (m, 1H), 7.07-7.05 (m, 1H), 2.88 (s, 2H), 1.57-1.54 (m, 2H), 1.22-1.18 (m, 2H), 0.76-0.73 (m, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 174.1, 156.8, 151.7, 148.4, 146.1, 140.6, 137.8, 137.1, 131.7, 130.3, 129.3, 127.4, 122.7, 121.1, 121.0, 36.0, 28.0, 22.7, 14.0.

**HRMS** (ESI+) Calcd for C<sub>19</sub>H<sub>19</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 306.1601; Found 306.1597.

***N*-8-quinolinyl-*N*-(2-pyridine)octanamide (5c):**



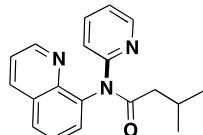
(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-55°C. **1H NMR** (500MHz,

Methanol-d<sub>4</sub>) δ (ppm): 8.91 (s, 1H), 8.38 (d, *J*=5 Hz, 1H), 8.29 (s, 1H), 8.00-7.98 (m, 1H), 7.80-7.76 (m, 2H), 7.72 (s, 1H), 7.65 (t, *J*=5 Hz, 1H), 7.56-7.54 (m, 1H), 7.19 (s, 1H), 2.23 (s, 2H), 1.62-1.59 (m, 2H), 1.21-1.15 (m, 8H), 0.84-0.81 (m, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Methanol-d<sub>4</sub>) δ (ppm): 176.7, 156.6, 152.3, 149.2, 145.8, 140.0, 139.6, 138.0, 131.7, 131.1, 130.2, 127.8, 123.2, 123.0, 122.9, 36.3, 32.7, 30.0, 26.2, 23.6, 14.4.

**HRMS** (ESI+) Calcd for C<sub>22</sub>H<sub>25</sub>N<sub>3</sub> [M+H]<sup>+</sup> 348.2070; Found 348.2067.

***N*-8-quinolinyl-*N*-(2-pyridine)-3-methylbutanamide (5d):**



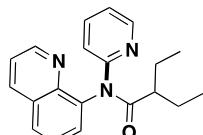
(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-61°C; **1H NMR** (500MHz,

CDCl<sub>3</sub>) δ (ppm): 8.93-8.92 (m, 1H), 8.29 (s, 1H), 8.20-8.19 (d, *J*=5Hz, 1H), 7.87 (d, *J*=10Hz, 1H), 7.78-7.75 (m, 2H), 7.68-7.66 (m, 1H), 7.61-7.58 (m, 1H), 7.44-7.41 (m, 1H), 7.04-7.02 (m, 1H), 2.28-2.20 (m, 1H), 2.13 (s, 2H), 0.89 (s, 3H), 0.88 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, CDCl<sub>3</sub>) δ (ppm): 174.1, 155.8, 151.1, 148.3, 145.1, 139.6, 137.4, 136.2, 130.6, 129.5, 18.5, 126.6, 121.8, 121.3, 120.8, 44.5, 25.8, 22.7.

**HRMS** (ESI+) Calcd for C<sub>19</sub>H<sub>19</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 306.1606; Found 306.1601.

***N*-8-quinolinyl-*N*-(2-pyridine)-2-ethylbutanamide (5e):**



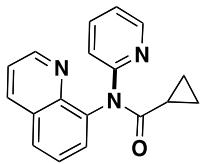
(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-78°C. **1H NMR** (500MHz,

Acetone-d<sub>6</sub>) δ (ppm): 8.90-8.89 (m, 1H), 8.40-8.39 (m, 1H), 8.19 (s, 1H), 8.02-8.01 (m, 1H), 7.89 (s, 1H), 7.82-7.81 (m, 1H), 7.77-7.74 (m, 1H), 7.70-7.67 (m, 1H), 7.55-7.53 (m, 1H), 7.10-7.09 (m, 1H), 2.12 (s, 1H), 1.72-1.64 (m, 2H), 1.36 (s, 2H), 0.076 (s, 6H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 176.2, 156.1, 150.6, 147.7, 145.2, 139.8, 137.0, 136.2, 130.9, 129.4, 128.3, 126.3, 121.8, 121.1, 120.4, 113.8, 46.8, 11.3.

**HRMS** (ESI+) Calcd for C<sub>20</sub>H<sub>21</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 320.1757; Found 320.1757.

**N-8-quinolinyl-N-(2-pyridine)cyclopropanamide (5f):**



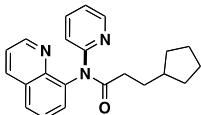
(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-96°C. **1H NMR** (500MHz,

Acetone-d<sub>6</sub>) δ (ppm): 8.85 (s, 1H), 8.39-8.38 (m, 1H), 8.11 (s, 1H), 8.02-8.01 (m, 1H), 7.96-7.95 (m, 1H), 7.85-7.84 (m, 1H), 7.76-7.73 (m, 1H), 7.71-7.67 (m, 1H), 7.53-7.50 (m, 1H), 7.05-7.03 (m, 1H), 1.34 (s, 1H), 0.96 (s, 2H), 0.56 (s, 2H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 174.7, 156.8, 151.5, 148.3, 146.1, 140.5, 137.6, 137.0, 131.7, 130.2, 129.1, 127.3, 122.6, 121.0, 120.8, 15.1, 9.3.

**HRMS** (ESI+) Calcd for C<sub>18</sub>H<sub>15</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 290.1288; Found 290.1285.

**N-8-quinolinyl-N-(2-pyridine)cyclopentylacetamide (5g):**



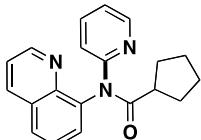
(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-88°C. **1H NMR** (500MHz,

Acetone-d<sub>6</sub>) δ (ppm): 8.89-8.88 (m, 1H), 8.42-8.40 (m, 1H), 8.14 (s, 1H), 8.04-8.02 (m, 1H), 7.92 (s, 1H), 7.82-7.81 (m, 1H), 7.76-7.75 (m, 1H), 7.70-7.67 (m, 1H), 7.56-7.54 (m, 1H), 7.08-7.05 (m, 1H), 2.19 (s, 1H), 1.67-1.58 (m, 4H), 1.55-1.54 (m, 2H), 1.54-1.48 (m, 2H), 1.43-1.40 (m, 2H), 0.89-0.85 (m, 2H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 174.2, 156.8, 151.6, 148.4, 146.1, 140.6, 137.8, 137.1, 131.6, 130.2, 129.2, 127.3, 122.6, 121.0, 120.9, 40.2, 35.6, 32.9, 32.1, 25.5.

**HRMS** (ESI+) Calcd for C<sub>22</sub>H<sub>23</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 346.1914; Found 346.1910.

**N-8-quinolinyl-N-(2-pyridine)cyclopentamide (5h):**



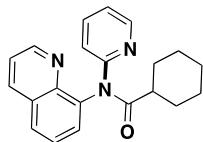
(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-108°C; **1H NMR** (500MHz,

Acetone-d<sub>6</sub>) δ (ppm): 8.88-8.85 (m, 1H), 8.38 (s, 1H), 8.25 (s, 1H), 8.00 (s, 1H), 7.78 (s, 1H), 7.79 (s, 1H), 7.74 (s, 1H), 7.67 (s, 1H), 7.53 (s, 1H), 7.06-7.05 (m, 1H), 2.66 (s, 1H), 1.91 (s, 2H), 1.63 (s, 2H), 1.54 (s, 2H), 1.33 (s, 2H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 178.0, 157.0, 151.6, 148.5, 146.1, 140.7, 137.8, 137.1, 131.6, 130.2, 129.1, 127.3, 122.6, 121.4, 121.0, 44.8, 30.3, 26.8.

**HRMS** (ESI+) Calcd for C<sub>20</sub>H<sub>19</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 318.1601; Found 318.1596.

**N-8-quinolinyl-N-(2-pyridine)cyclohexamide (5i):**

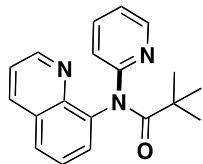


(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.89-8.69 (m, 1H), 8.41-8.39 (m, 1H), 8.17-8.16 (m, 1H), 8.02-8.00 (m, 1H), 7.78-7.71 (m, 3H), 7.68-7.65 (m, 1H), 7.08-7.06 (m, 1H), 2.22 (s, 1H), 1.87-1.85 (m, 2H), 1.62-1.60 (m, 2H), 1.55-1.47 (m, 3H), 1.21-1.10 (m, 2H), 0.87-0.82 (m, 2H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 177.5, 157.0, 151.6, 148.5, 146.1, 140.8, 137.7, 137.0, 131.1, 130.1, 129.0, 127.3, 122.6, 121.4, 121.0, 44.4, 26.4, 26.1.

**HRMS** (ESI+) Calcd for C<sub>21</sub>H<sub>21</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 332.1757; Found 332.1755.

**N-8-quinolinyl-N-(2-pyridine)pivalimide (5j):**

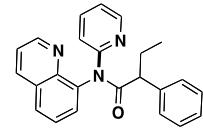


(*n*-Pentane/Ethylacetate=1/5). Yellow Solid. mp-70°C; **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.92-8.91 (m, 1H), 8.37-8.33 (m, 2H), 7.92-7.90 (m, 1H), 7.72-7.68 (m, 1H), 7.60-7.53 (m, 3H), 7.21-7.15 (m, 2H), 1.16 (s, 9H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 182.2, 158.7, 151.2, 148.7, 154.5, 143.1, 138.5, 137.0, 130.1, 128.2, 127.2, 122.6, 121.9, 121.6, 42.6.

**HRMS** (ESI+) Calcd for C<sub>19</sub>H<sub>19</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 306.1601; Found 306.1601.

**N-8-quinolinyl-N-(2-pyridine)-2-phenylbutamide (5k):**



(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.88 (s, 1H), 8.40 (s, 1H), 8.11 (s, 2H), 8.04-8.02 (m, 3H), 7.87 (s, 1H), 7.75-7.72 (m, 3H), 7.54 (s, 1H), 7.18 (s, 2H), 7.06 (s, 1H), 6.95 (s, 1H), 1.61 (s, 2H), 0.73 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 174.6, 156.7, 151.6, 148.5, 146.1, 141.3, 140.0, 137.7, 137.0, 132.1, 130.2, 129.3, 128.8, 127.3, 127.0, 122.6, 121.5, 121.3, 53.7, 12.6.

**HRMS** (ESI+) Calcd for C<sub>24</sub>H<sub>21</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 368.1757; Found 368.1755.

**N-8-quinolinyl-N-(2-pyridine)hydrocinnamide (5l):**

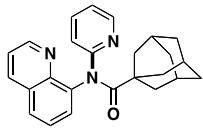


(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, Acetone-d<sub>6</sub>) δ (ppm): 8.85-8.84 (m, 1H), 8.34-8.33 (m, 1H), 8.10 (s, 1H), 7.96-7.94 (m, 1H), 7.87 (s, 1H), 7.72-7.67 (m, 2H), 7.62-7.58 (m, 1H), 7.50-7.48 (m, 1H), 7.14-7.12 (m, 2H), 7.03-7.02 (m, 4H), 2.88 (s, 2H), 2.45 (s, 2H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Acetone-d<sub>6</sub>) δ (ppm): 173.3, 156.6, 151.7, 148.4, 146.0, 142.2, 140.3, 137.9, 137.1, 131.6, 130.2, 129.3, 129.1, 129.0, 127.3, 126.6, 122.7, 121.1, 121.0, 38.4, 31.9.

**HRMS** (ESI+) Calcd for C<sub>23</sub>H<sub>19</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 368.1757; Found 368.1754.

#### *N-8-quinoliny-N-(2-pyridine)adamandamide (7a):*

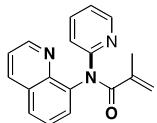


(*n*-Pentane/Ethylacetate=1/5). Colorless Solid. mp-52°C; **<sup>1</sup>H NMR** (500MHz, Toluene-d<sub>8</sub>) δ (ppm): 8.63 (s, 1H), 8.12 (s, 1H) 7.48-7.47 (m, 1H), 7.43-7.41 (m, 1H), 7.24-7.21 (m, 1H), 7.11-7.10 (m, 1H), 7.05-7.03 (m, 1H), 7.00-6.97 (m, 1H), 6.76-6.74 (m, 1H), 6.50-6.47 (m, 1H), 2.24 (s, 7H), 1.66-1.58 (m, 8H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, Toluene-d<sub>8</sub>) δ (ppm): 181.9, 158.7, 150.2, 147.9, 145.4, 142.9, 137.4, 137.1, 135.8, 129.6, 129.4, 127.0, 126.3, 121.4, 121.0, 120.1, 45.3, 40.6, 37.0, 29.1.

**HRMS** (ESI+) Calcd for C<sub>25</sub>H<sub>25</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 384.2076; Found 384.2073.

#### *N-8-quinoliny-N-(2-pyridine)methacrylamide (9a):*

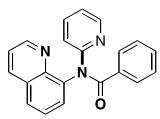


(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid. **<sup>1</sup>H NMR** (500MHz, CDCl<sub>3</sub>) δ (ppm): 8.81-8.80 (m, 1H), 8.23-8.21 (m, 1H), 8.09 (d, J=5 Hz, 1H), 7.72-7.67 (m, 2H), 7.60-7.57 (m, 1H), 7.54-7.53 (m, 1H), 7.47-7.46 (m, 1H), 7.41-7.40 (m, 1H), 7.33-7.31 (m, 1H), 7.04-6.96 (m, 2H), 5.20 (s, 1H), 4.91 (s, 1H), 1.82 (s, 3H).

**<sup>13</sup>C{<sup>1</sup>H} NMR** (125 MHz, CDCl<sub>3</sub>) δ (ppm): 173.4, 162.0, 156.7, 150.8, 148.5, 148.2, 144.7, 141.7, 140.7, 139.7, 137.5, 136.2, 129.4, 129.1, 127.7, 126.5, 121.7, 121.0, 120.7, 120.0, 119.6, 114.1, 19.6.

**HRMS** (ESI+) Calcd for C<sub>18</sub>H<sub>15</sub>N<sub>3</sub> [M+H]<sup>+</sup> 290.1293; Found 290.1290.

#### *N-8-quinoliny-N-(2-pyridine)benzamide (11a):*



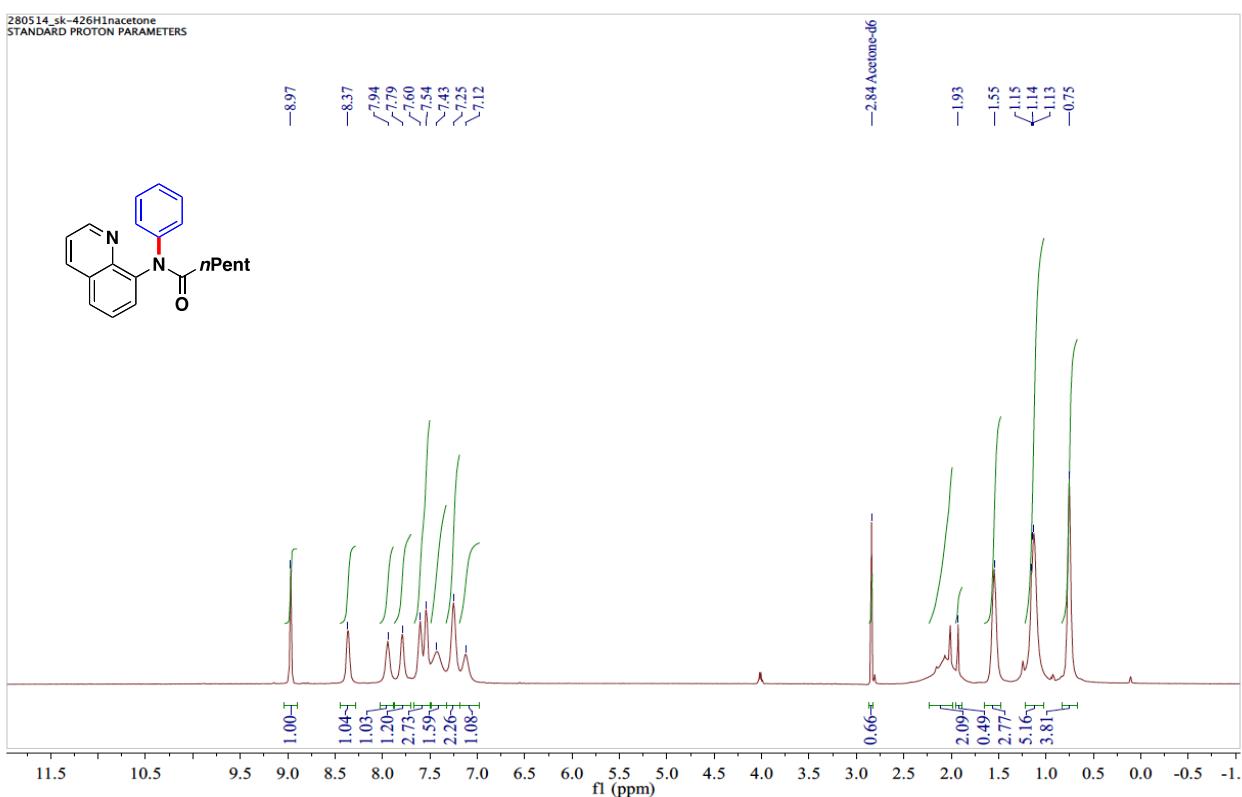
(*n*-Pentane/Ethylacetate=1/5). Yellow Liquid.  **$^1\text{H}$  NMR** (500MHz, Acetone-d<sub>6</sub>)  $\delta$  (ppm): 8.78-8.77 (m, 1H), 8.25-8.23 (m, 1H), 8.06 (s, 1H), 7.83-7.81 (m, 1H), 7.69-7.66 (m, 1H), 7.62-7.61 (m, 1H), 7.51-7.47 (m, 4H), 7.42-7.40 (m, 1H), 7.18-7.15 (m, 1H), 1.10-7.07 (m, 2H), 7.04-7.00 (m, 1H).

**$^{13}\text{C}\{\text{H}\}$  NMR** (125 MHz, Acetone-d<sub>6</sub>)  $\delta$  (ppm): 172.0, 157.8, 151.2, 148.7, 148.6, 145.5, 141.7, 140.4, 138.5, 137.9, 136.9, 130.9, 130.6, 130.0, 129.2, 128.6, 128.2, 127.1, 122.5, 121.5, 121.1, 120.7, 114.7.

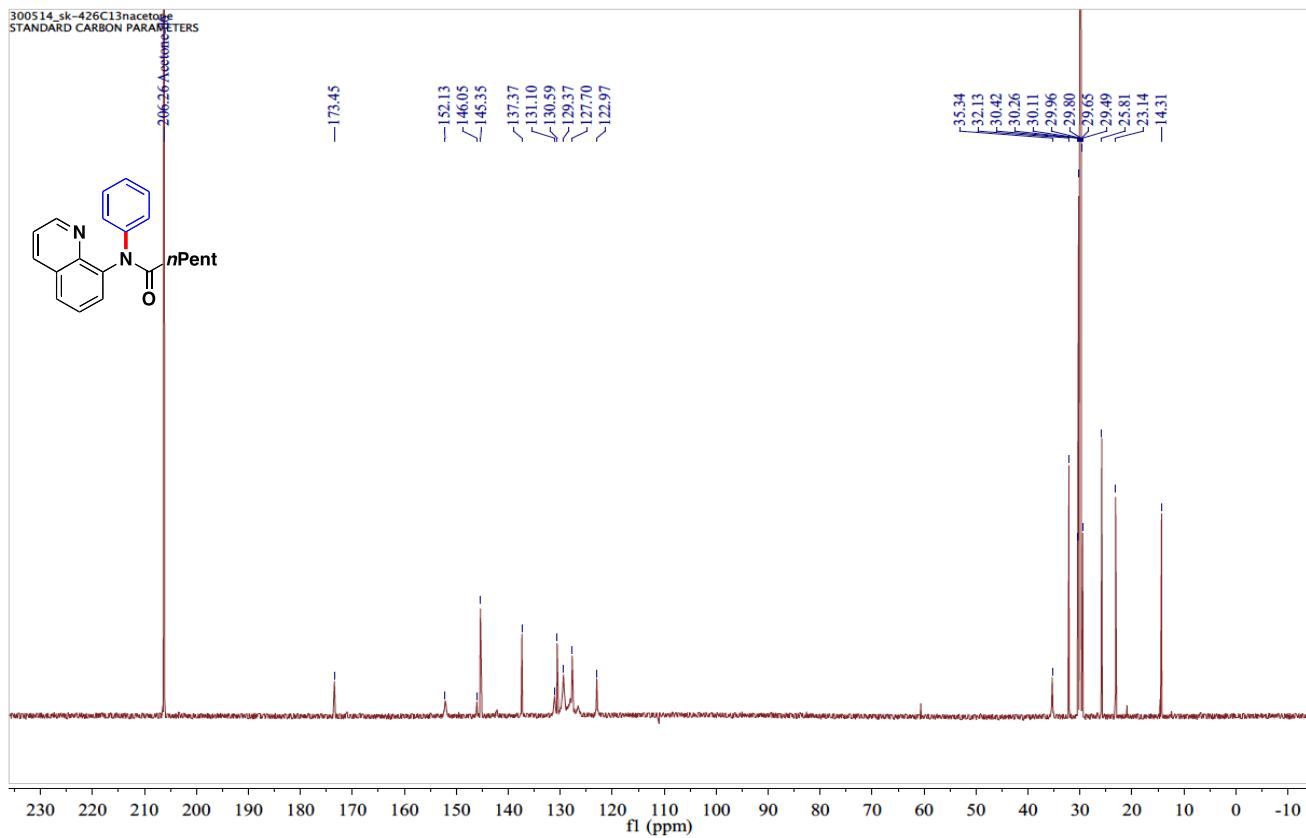
**HRMS (ESI+)** Calcd for C<sub>21</sub>H<sub>15</sub>N<sub>3</sub>O [M+H]<sup>+</sup> 326.1293; Found 326.1289.

## VI. Copies of NMR Spectra

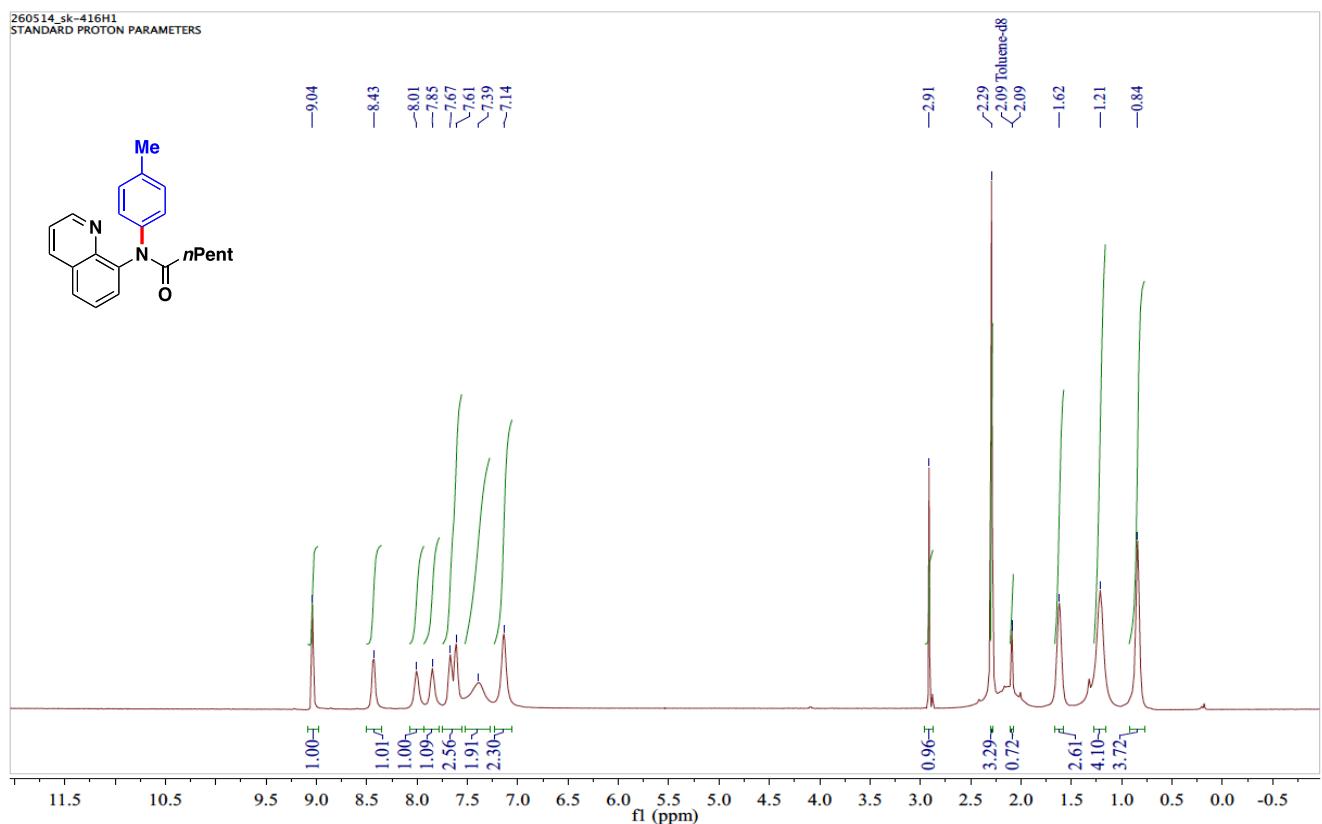
### $^1\text{H}$ NMR spectrum of *N*-8-quinolinyl phenylhexanamide (3a)



### $^{13}\text{C}$ NMR spectrum of *N*-8-quinolinyl phenylhexanamide (3a)

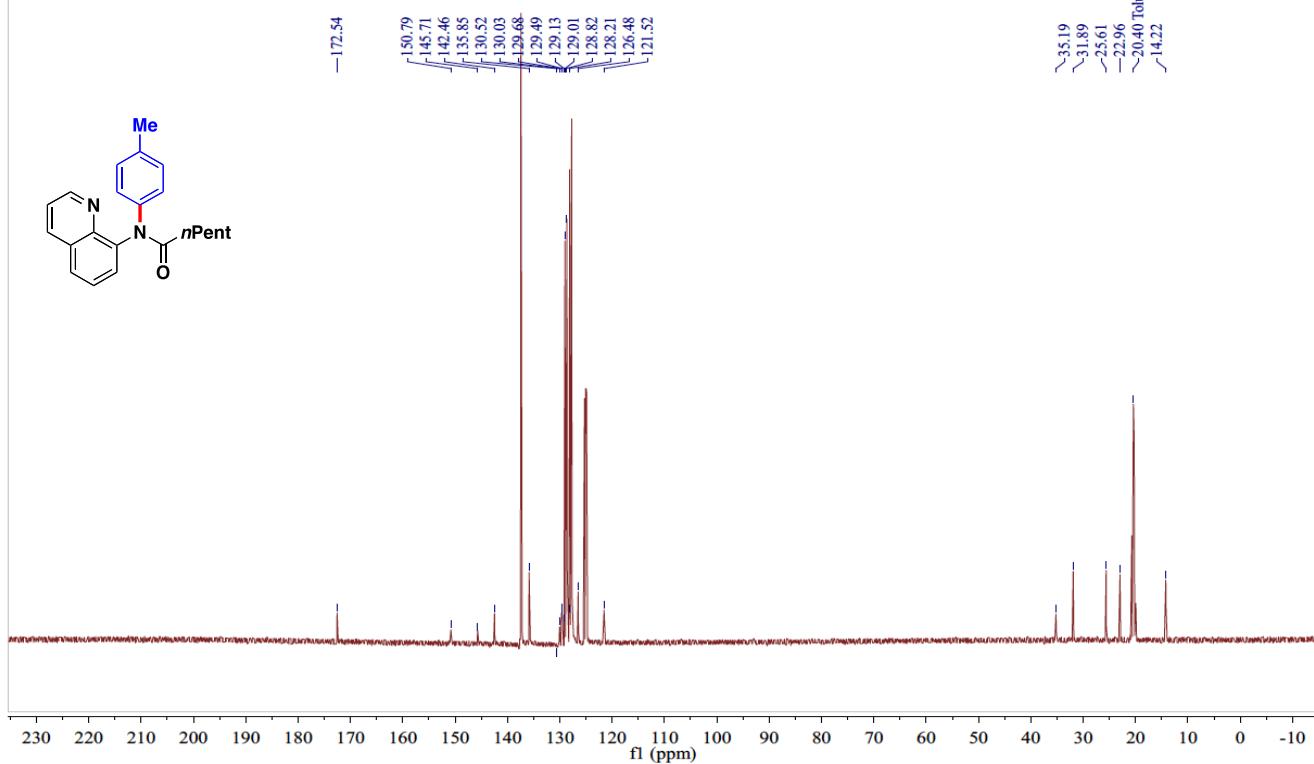


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-methylphenyl)hexanamide (3b)



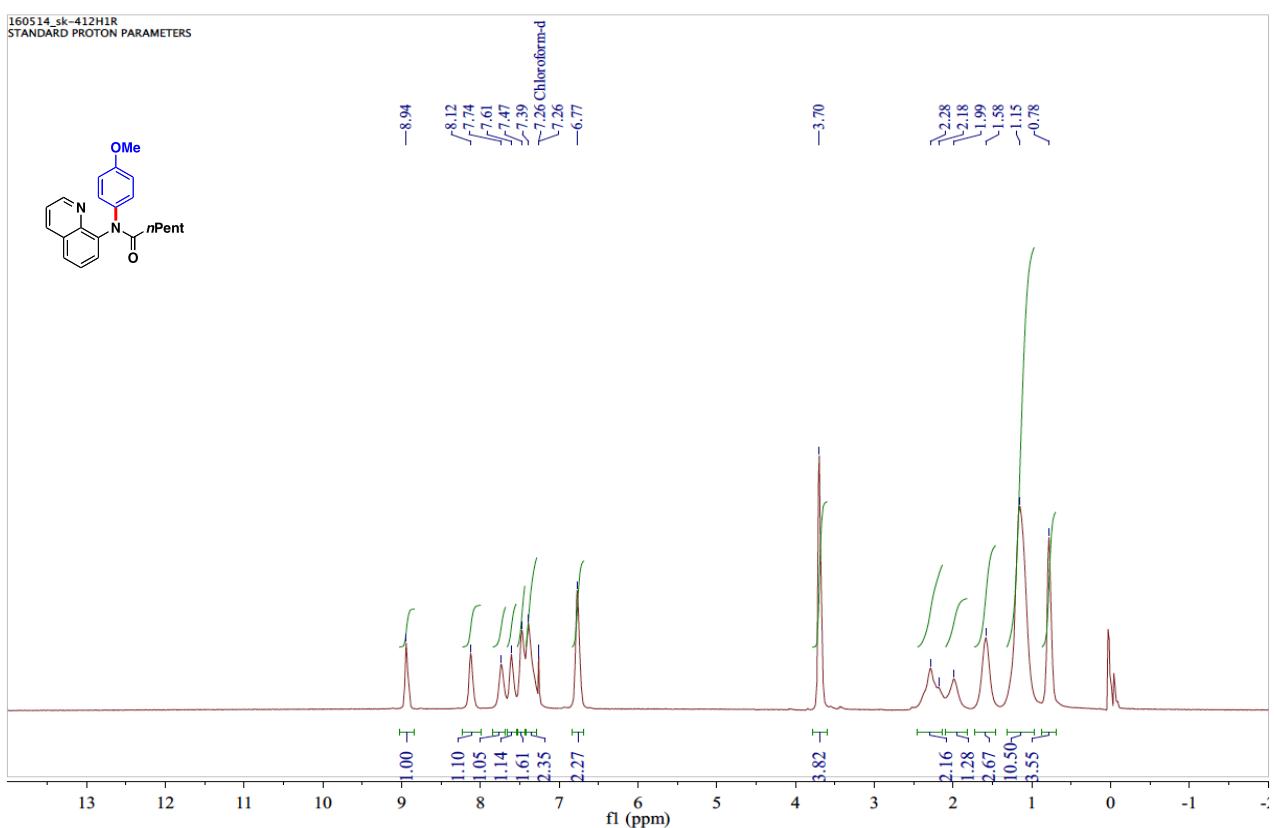
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-methylphenyl)hexanamide (3b)

190614\_sk-416C13nToluene  
STANDARD CARBON PARAMETERS

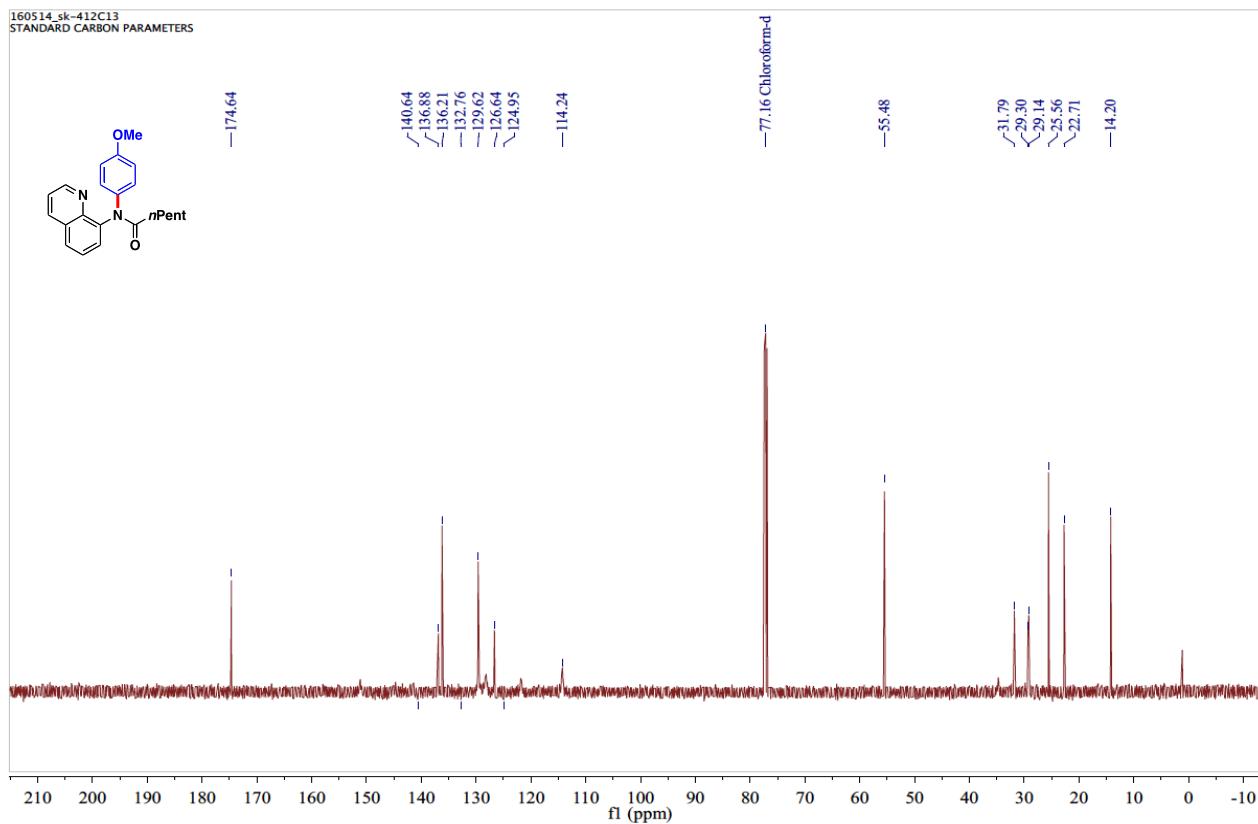


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-methoxyphenyl)hexanamide (3c)

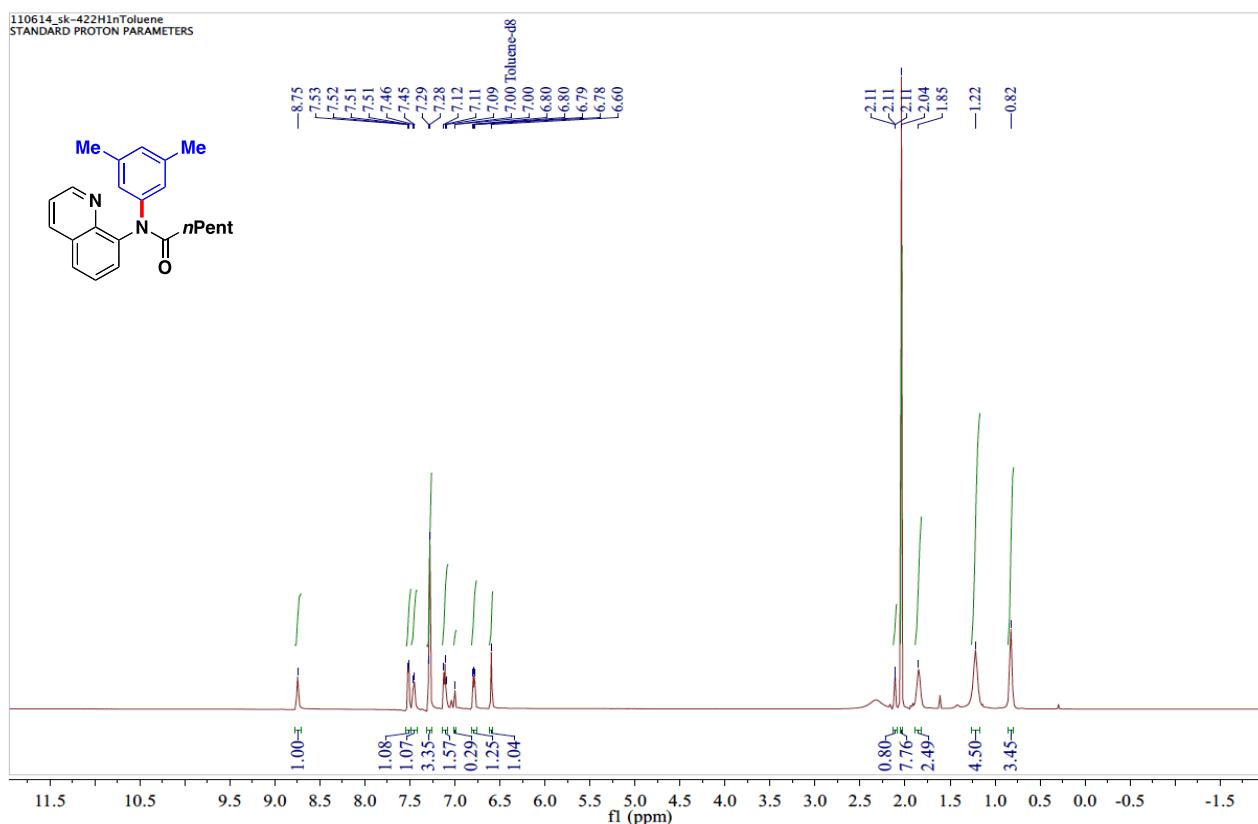
160514\_sk-412H1R  
STANDARD PROTON PARAMETERS



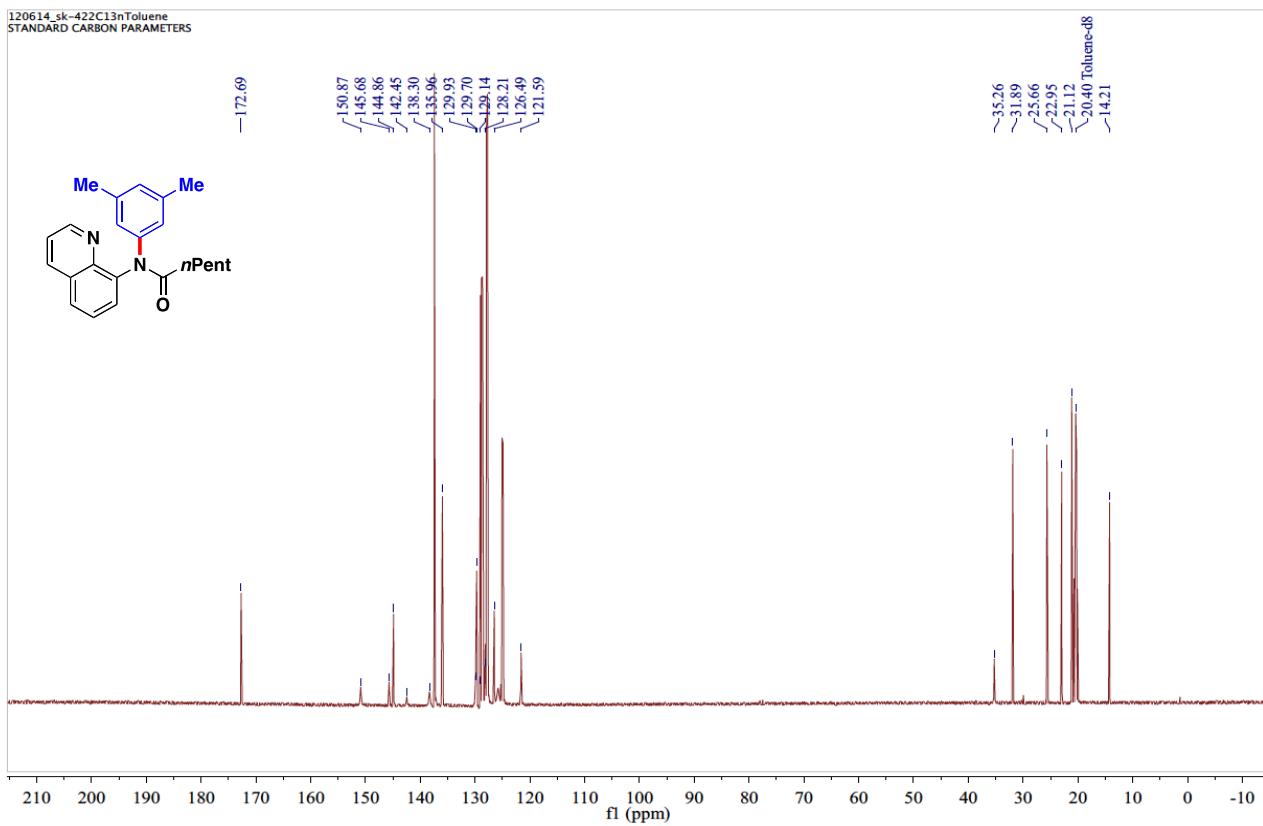
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-methoxyphenyl)hexanamide (3c)



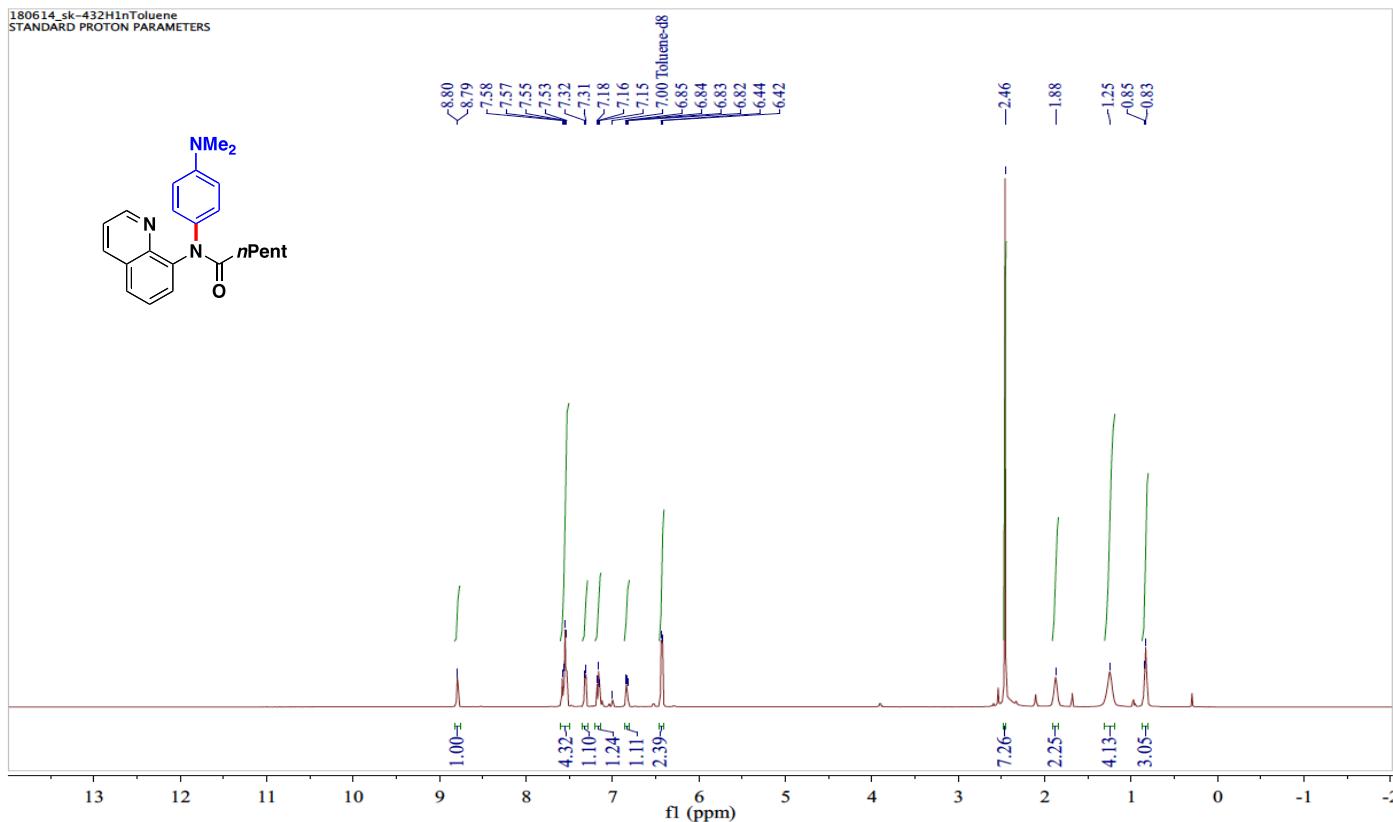
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(3,5-dimethylphenyl)hexanamide (3d)



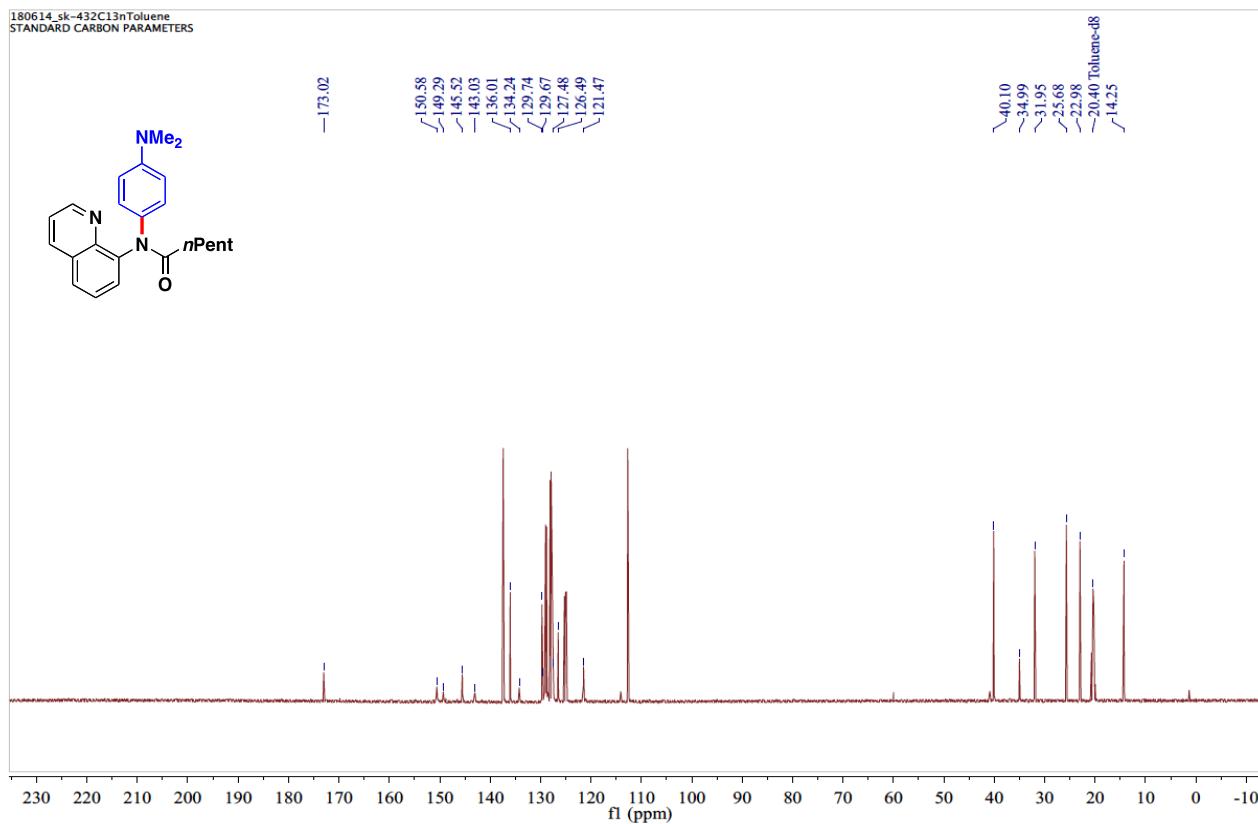
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(3,5-dimethylphenyl)hexanamide (3d)



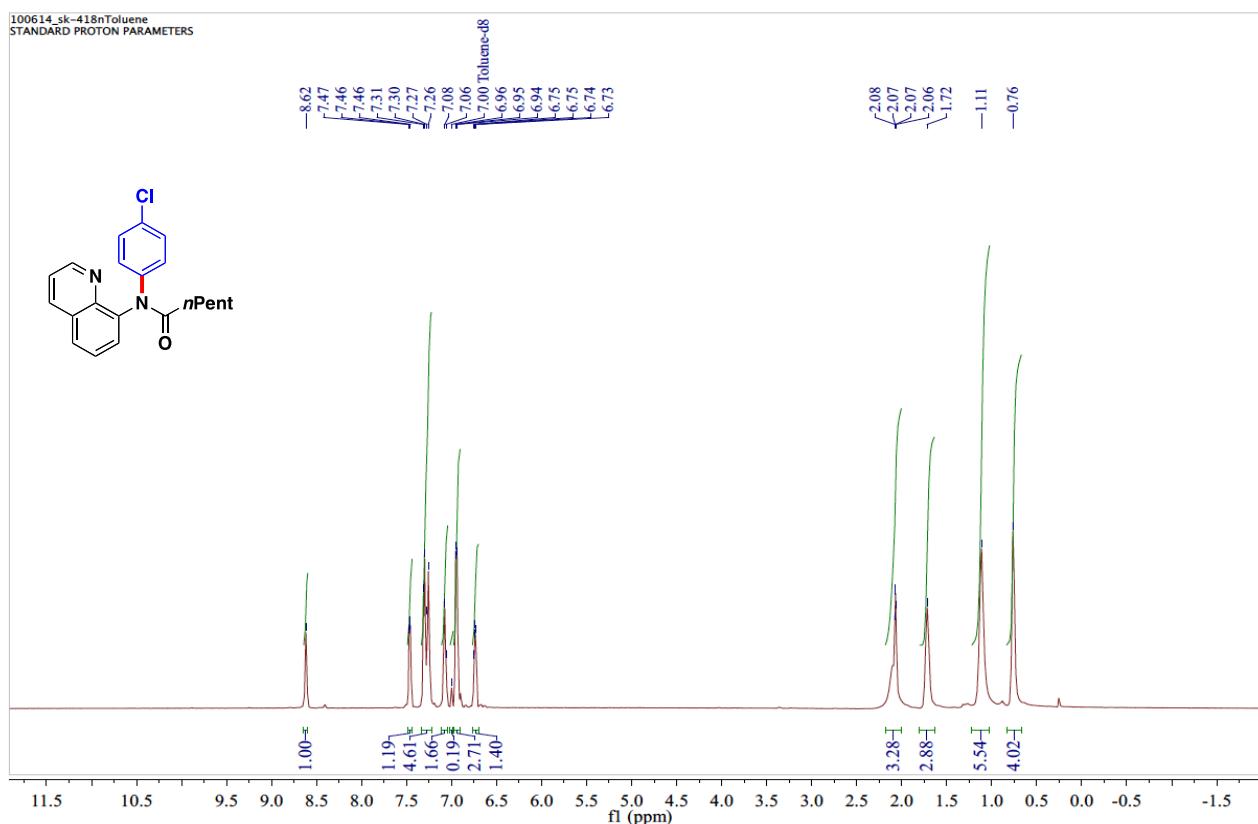
<sup>1</sup>H NMR spectrum of *N*-(4-*N*-dimethylphenyl)-*N*-(8-quinolinyl)hexanamide (3e)



<sup>13</sup>C NMR spectrum of *N*-(4-*N*-dimethylphenyl)-*N*-(8-quinolinyl)hexanamide (3e)

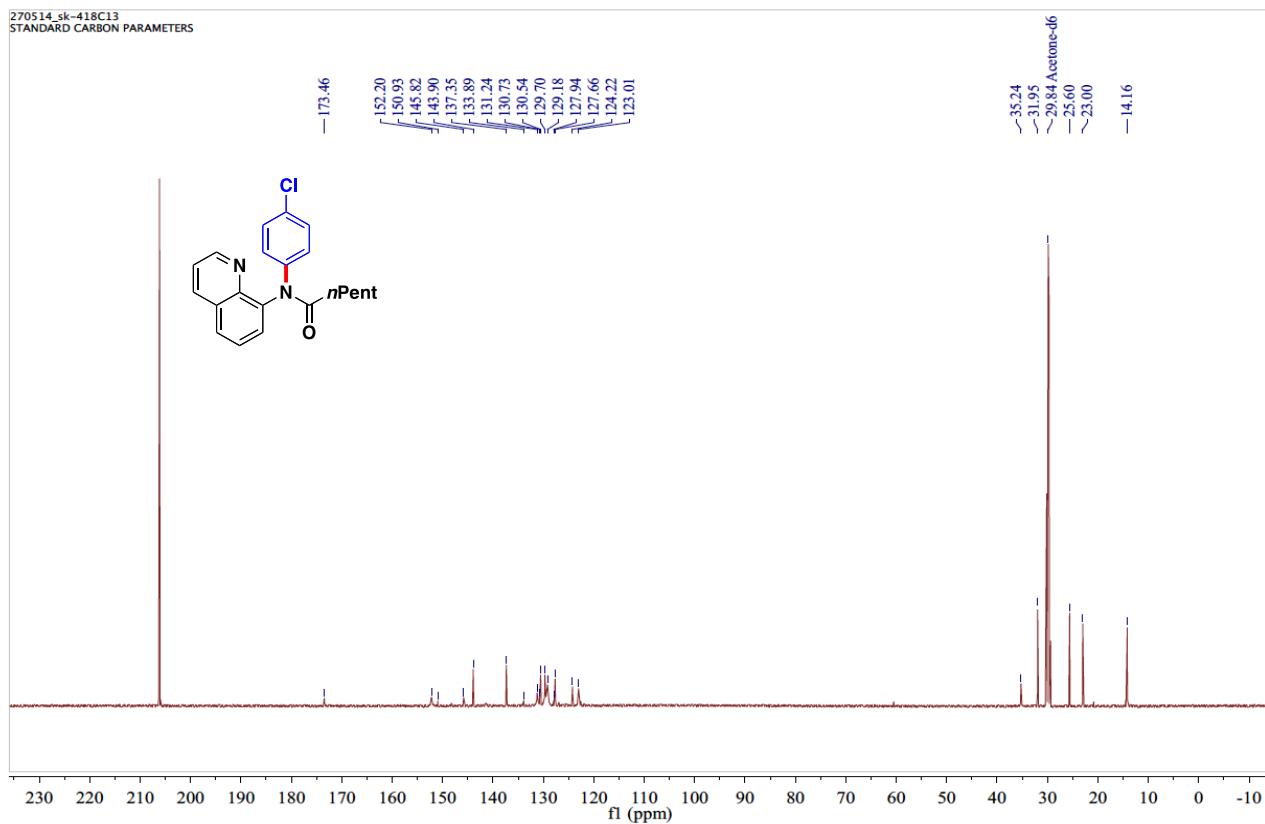


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-chlorophenyl)hexanamide (3f)



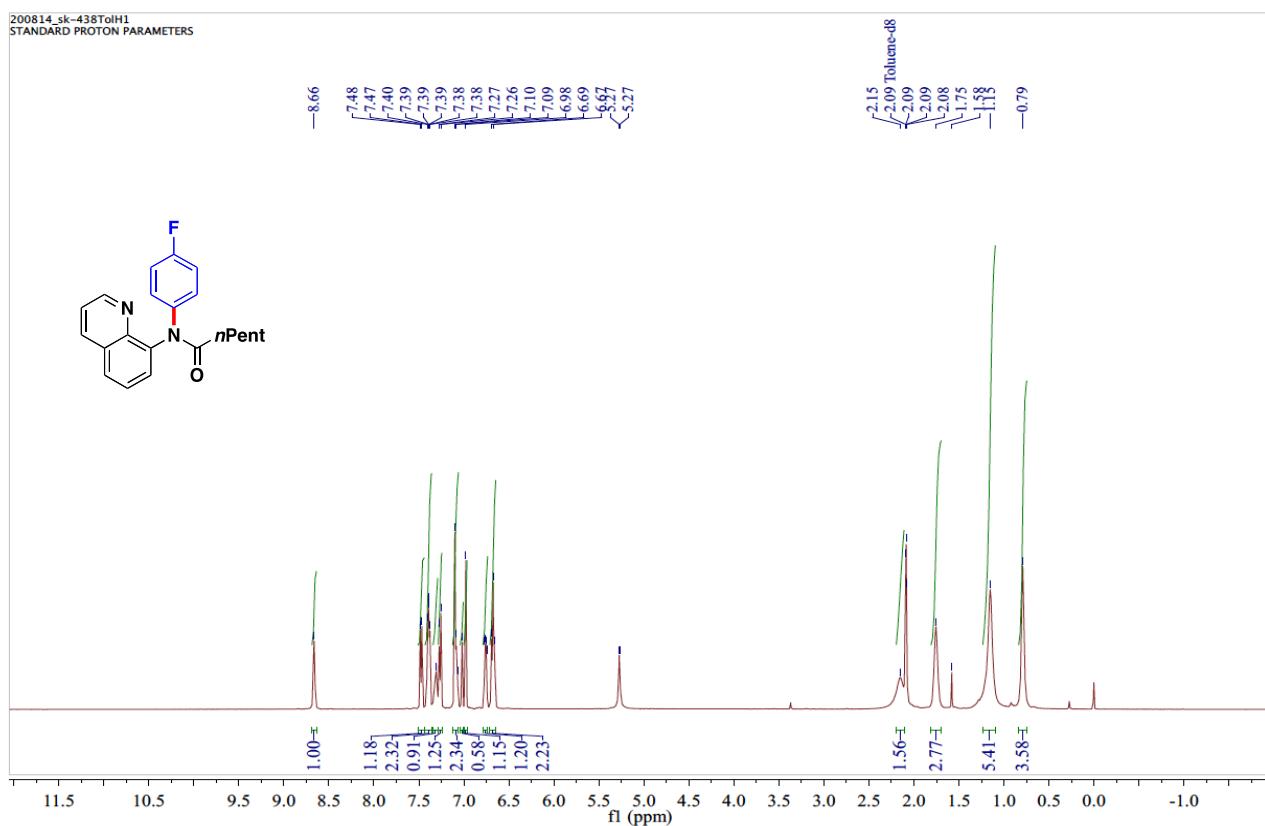
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-chlorophenyl)hexanamide (3f)

270514\_sk-418C13  
STANDARD CARBON PARAMETERS

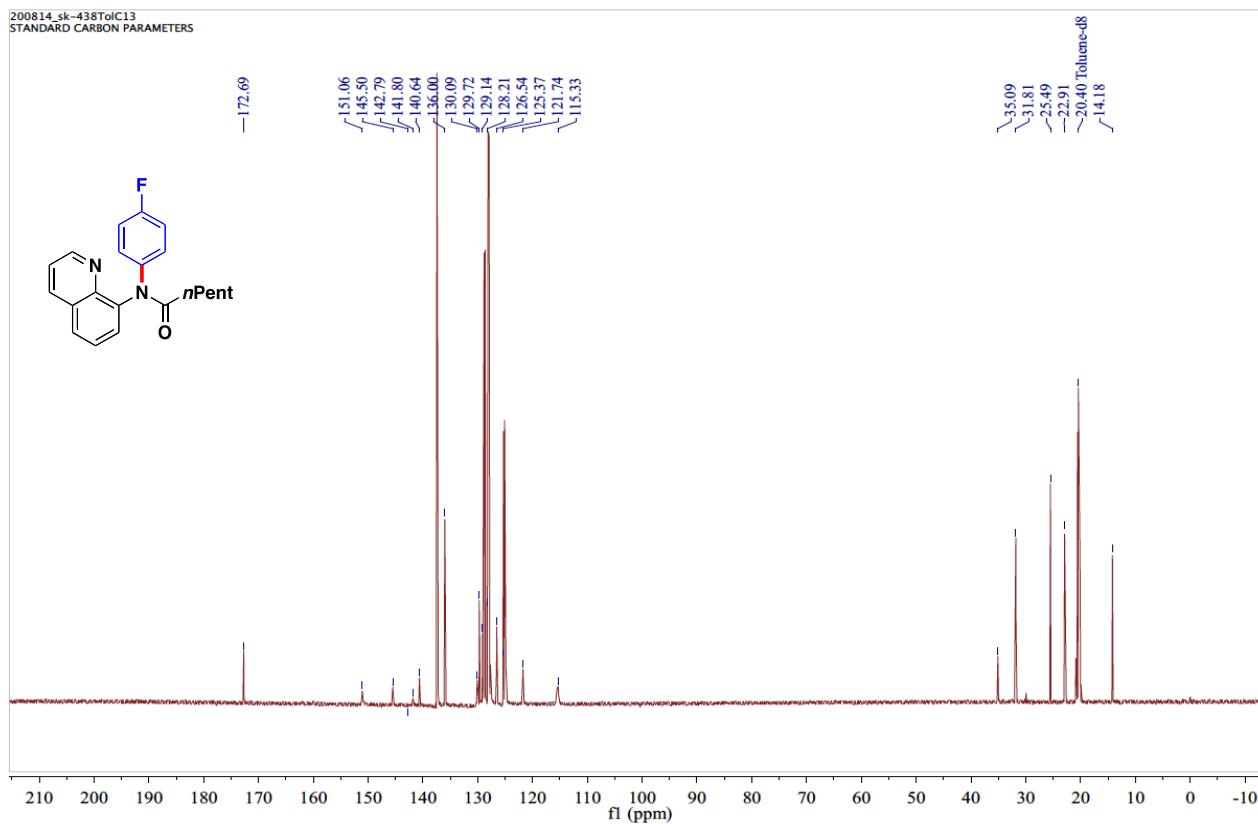


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-fluorophenyl)hexanamide (3g)

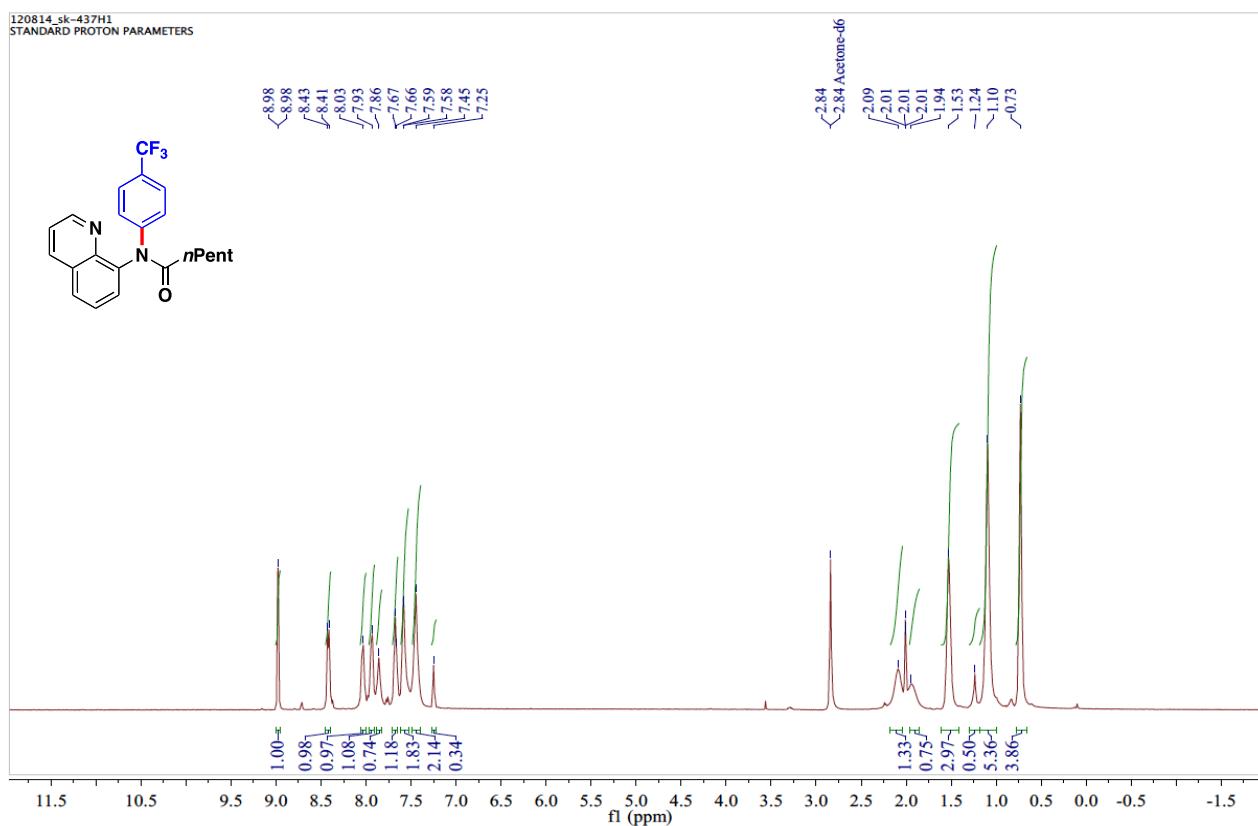
200814\_sk-438TolH1  
STANDARD PROTON PARAMETERS



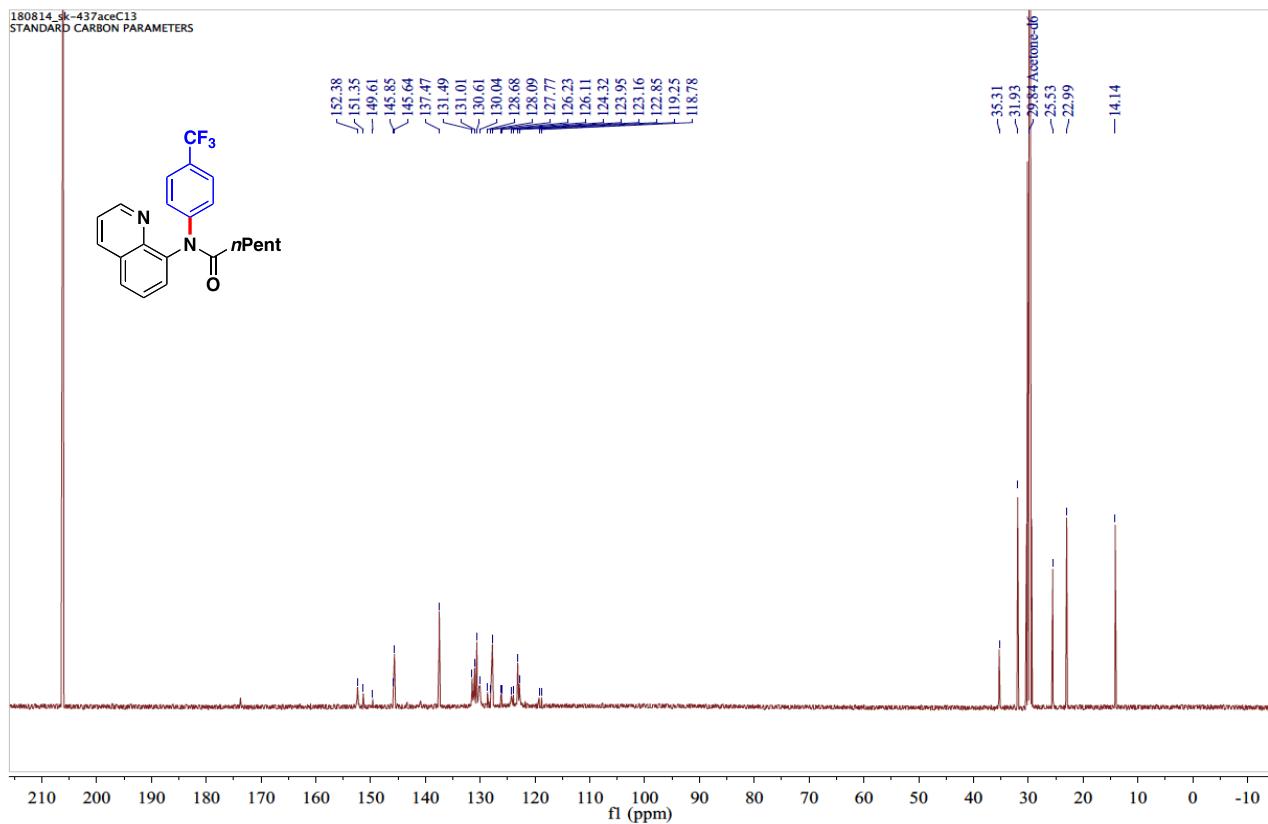
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-fluorophenyl)hexanamide (3g)



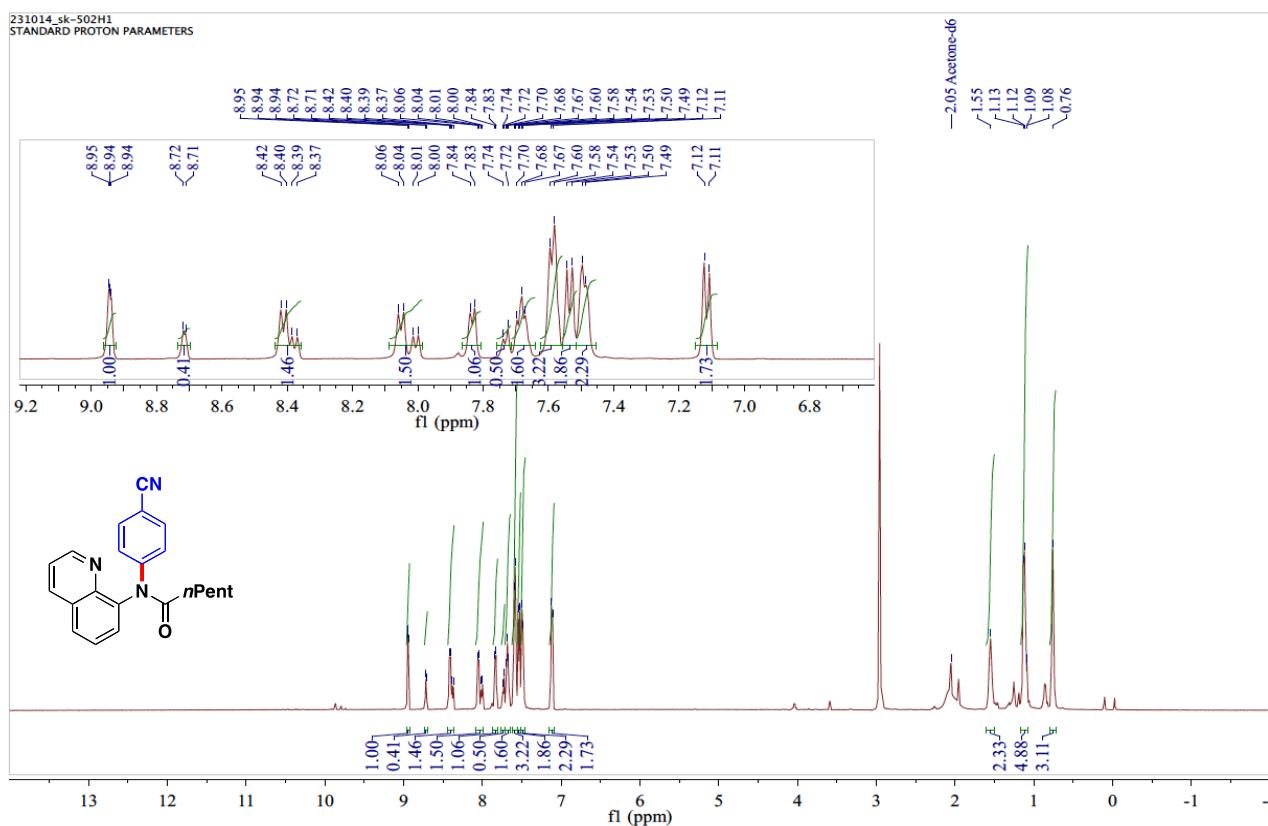
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-trifluoromethylphenyl)hexanamide (**3h**)



<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-trifluoromethylphenyl)hexanamide (**3h**)

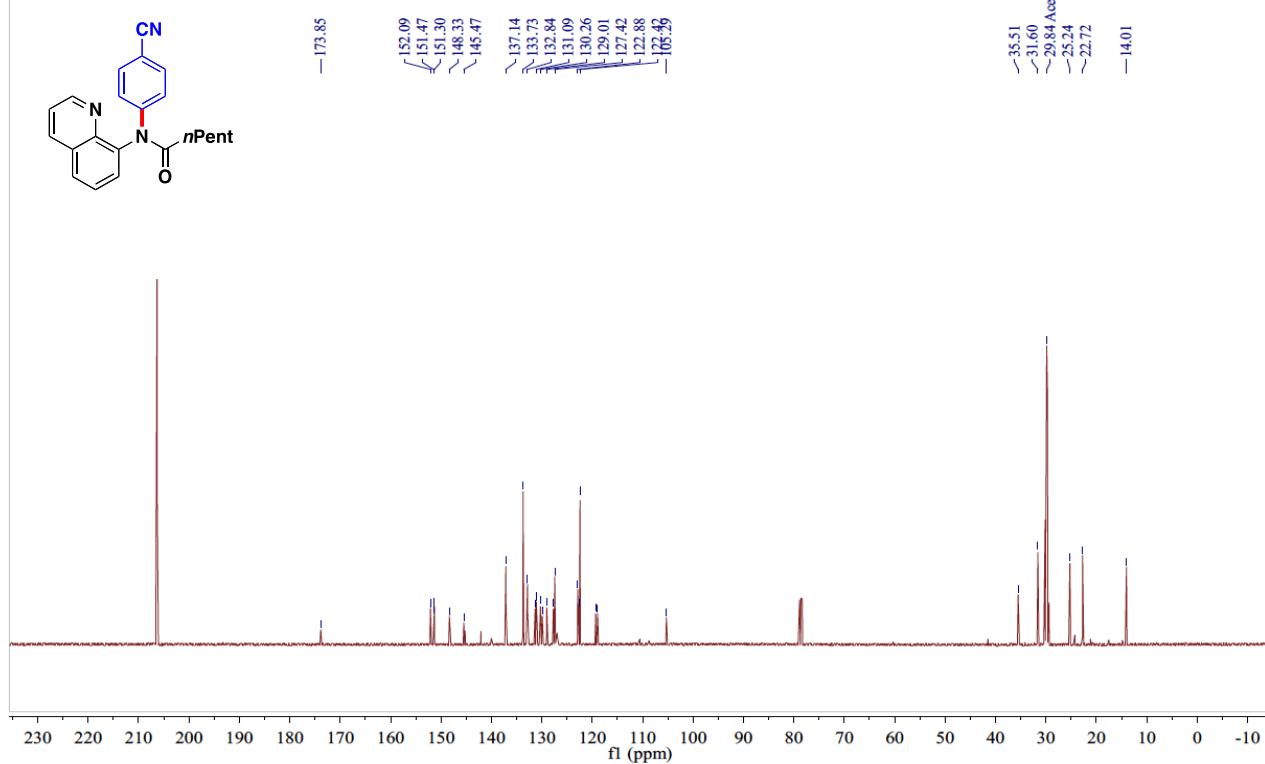


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-benzonitrile)hexanamide (3i)



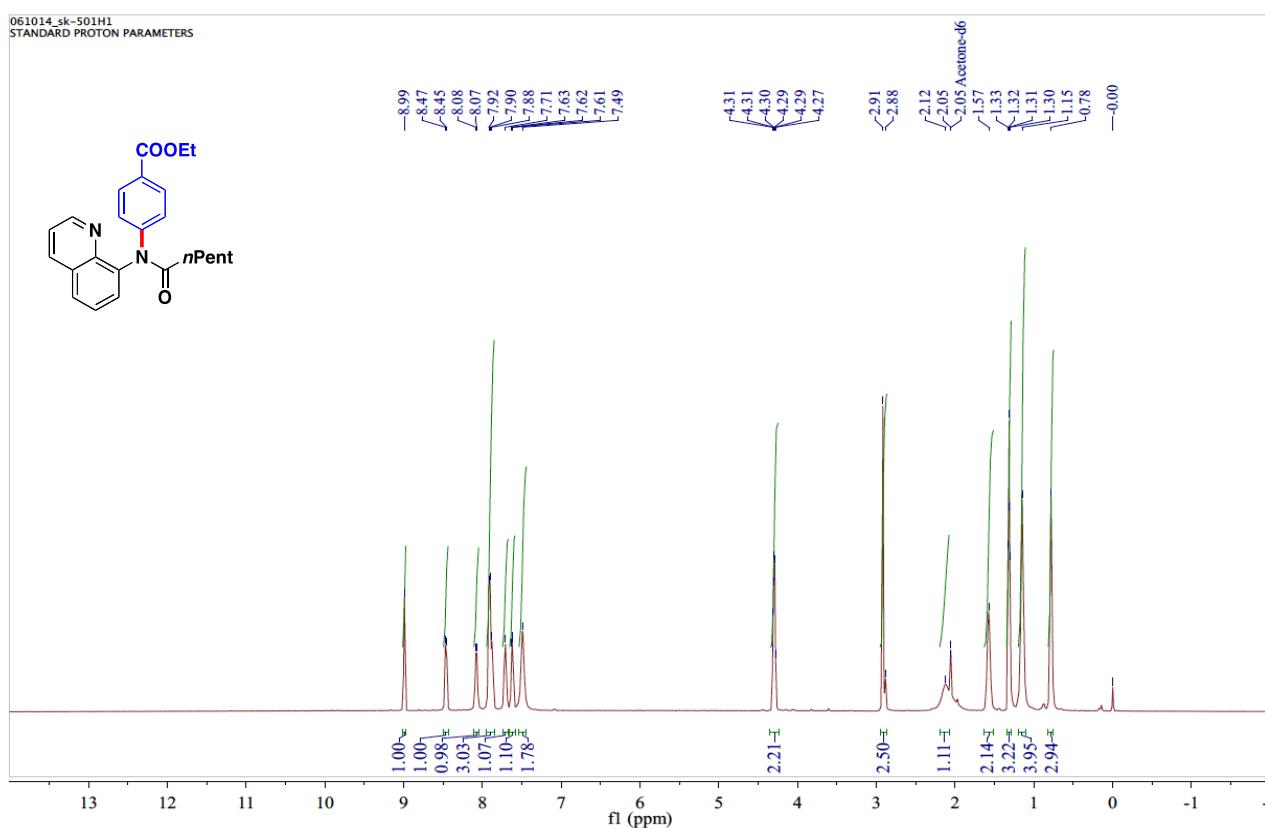
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-benzonitrile)hexanamide (3i)

241014\_sk-502C13  
STANDARD CARBON PARAMETERS

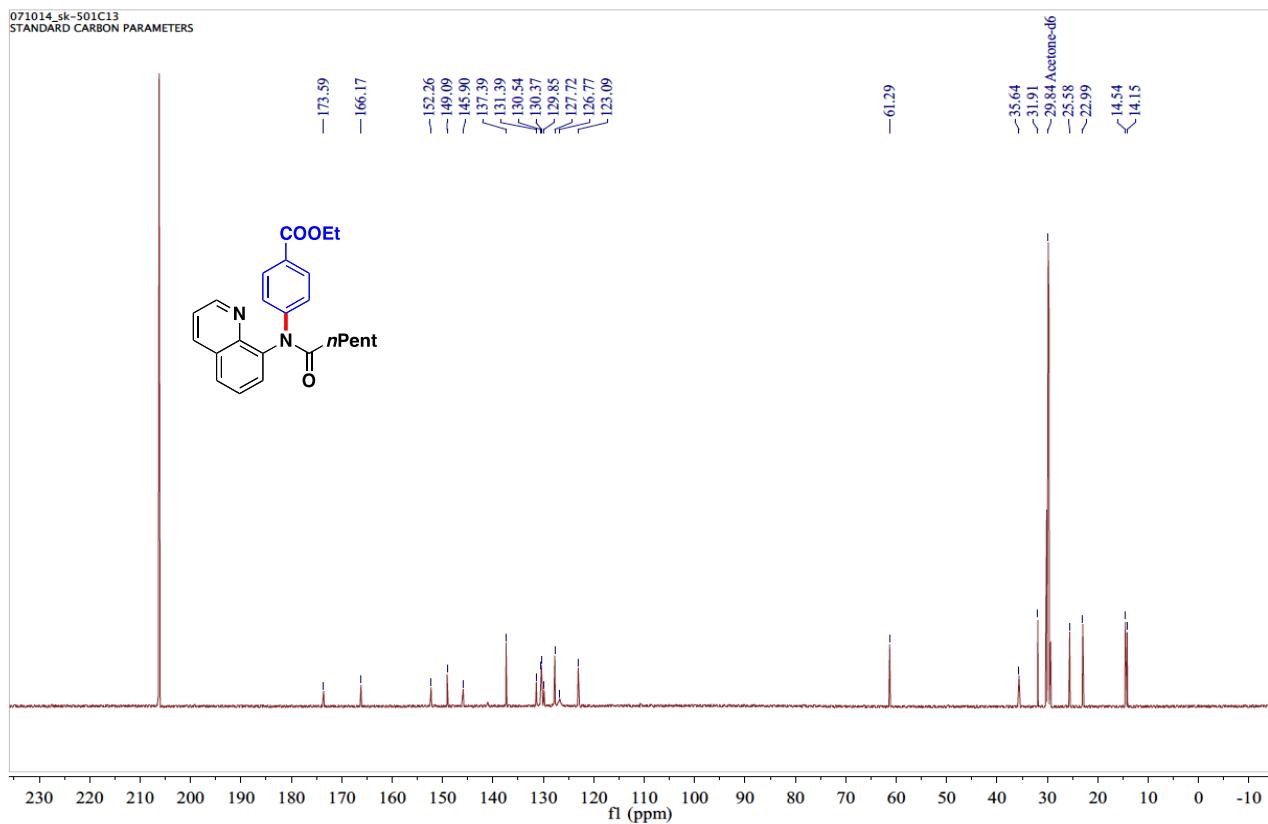


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(4-ethylbenzoate)hexanamide (**3j**)

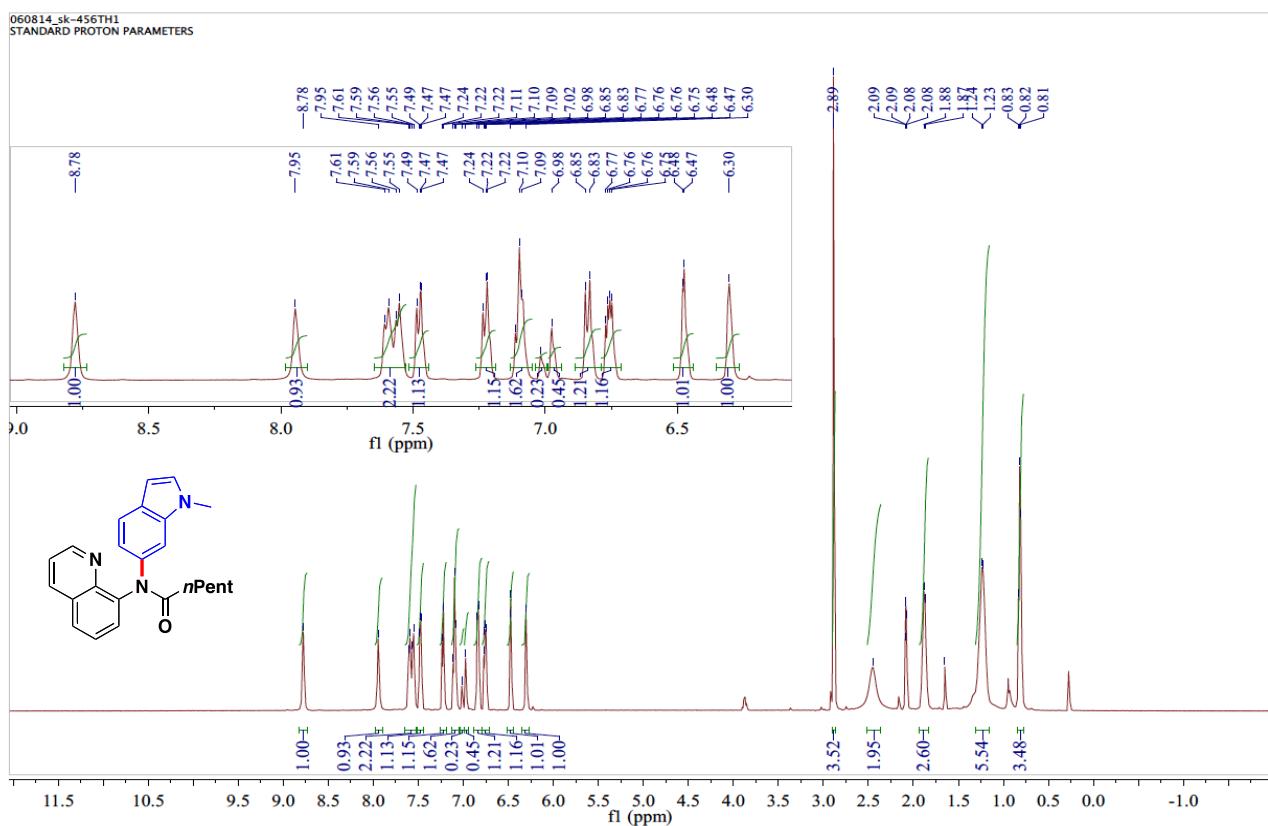
061014\_sk-501H1  
STANDARD PROTON PARAMETERS



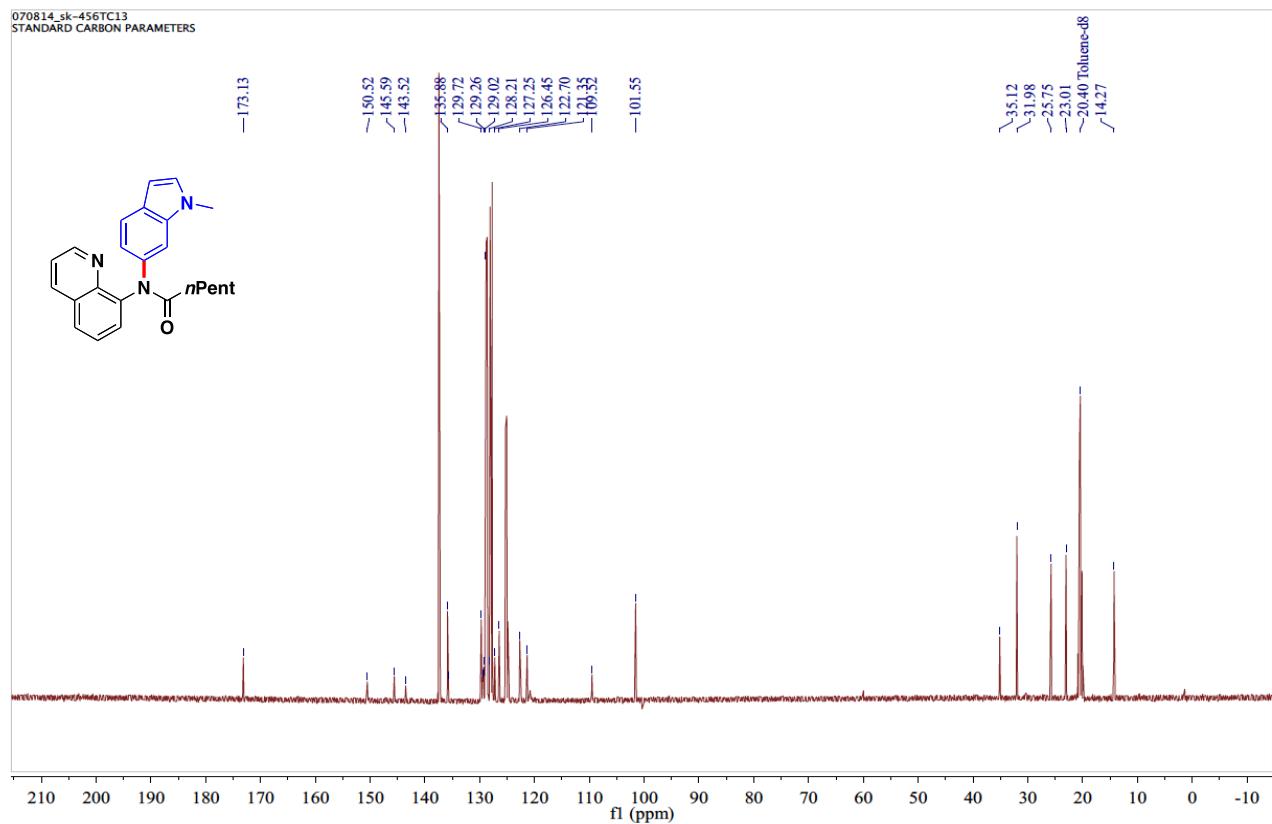
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(4-ethylbenzoate)hexanamide (**3j**)



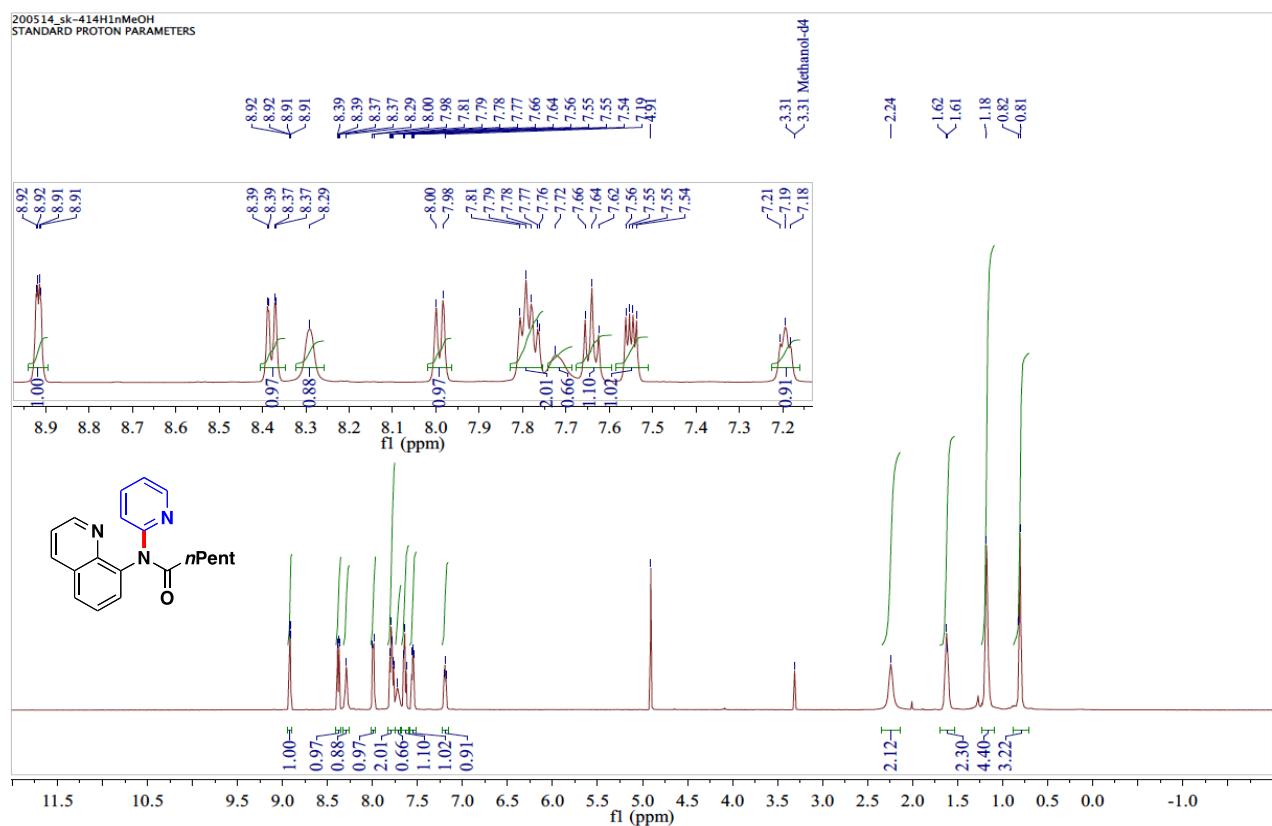
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-5-(1-methylindole)hexanamide (3k)



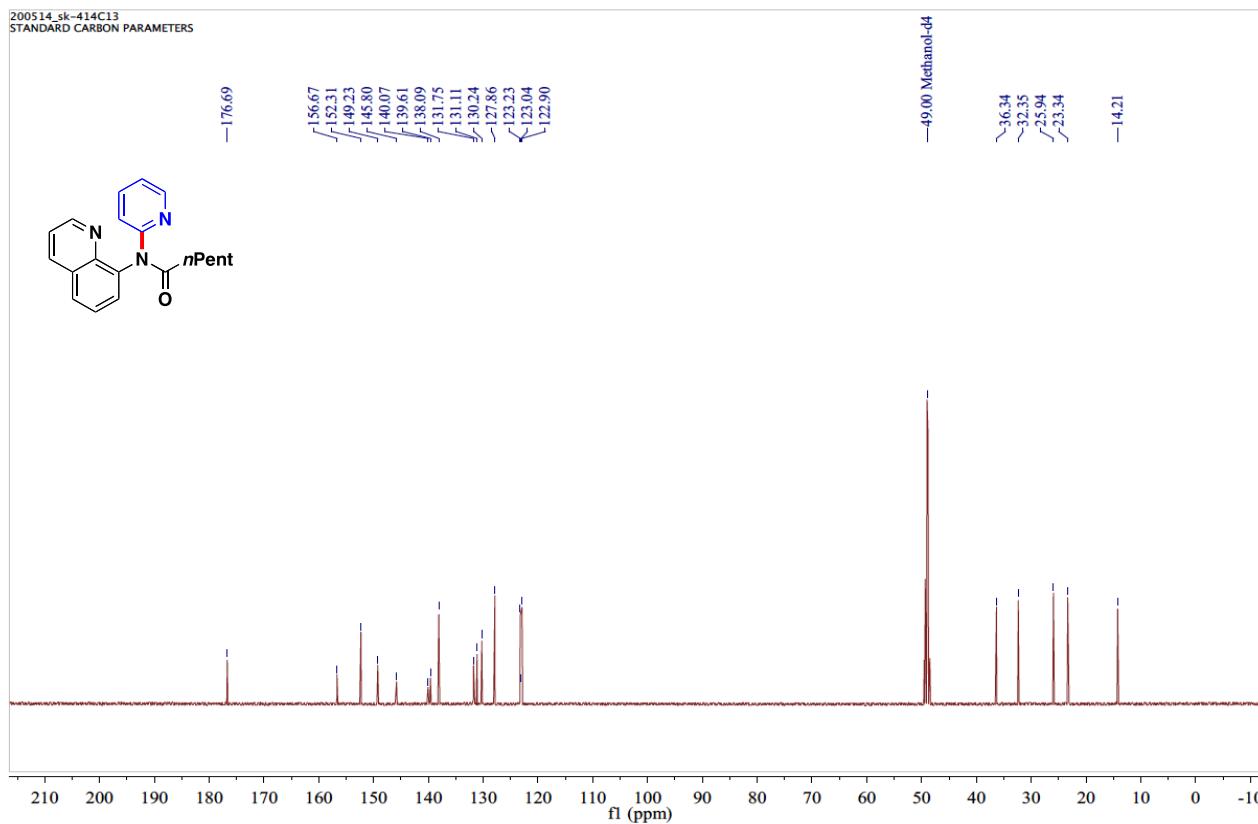
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-5-(1-methylindole)hexanamide (3k)



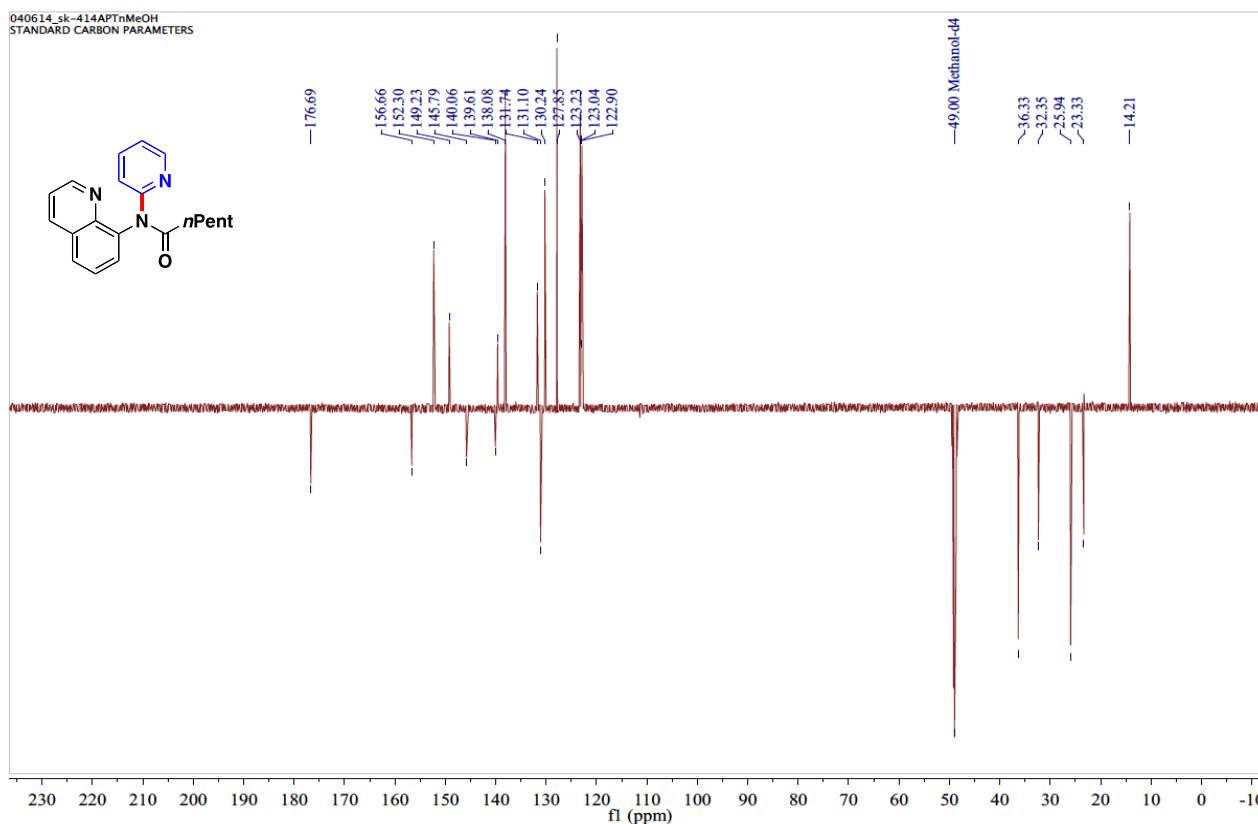
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)hexanamide (3l)



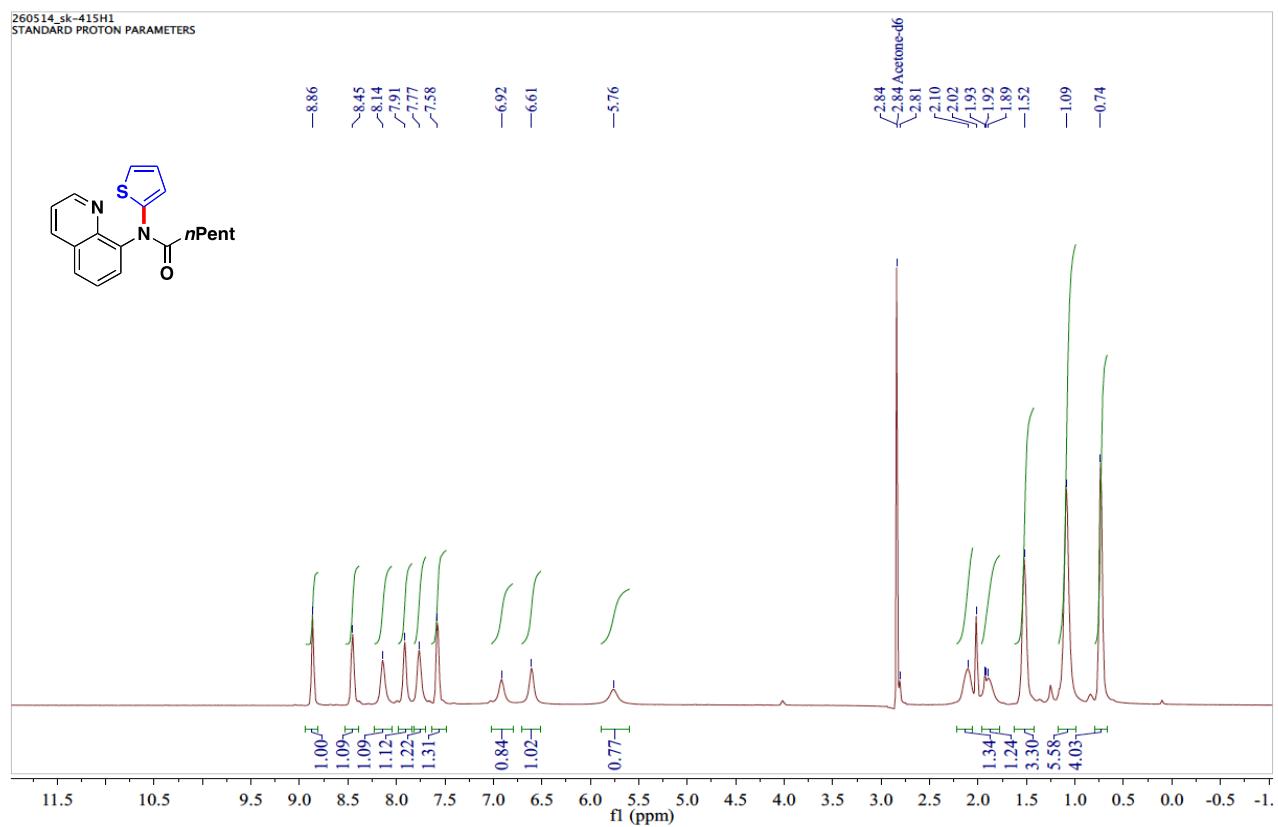
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)hexanamide (3l)



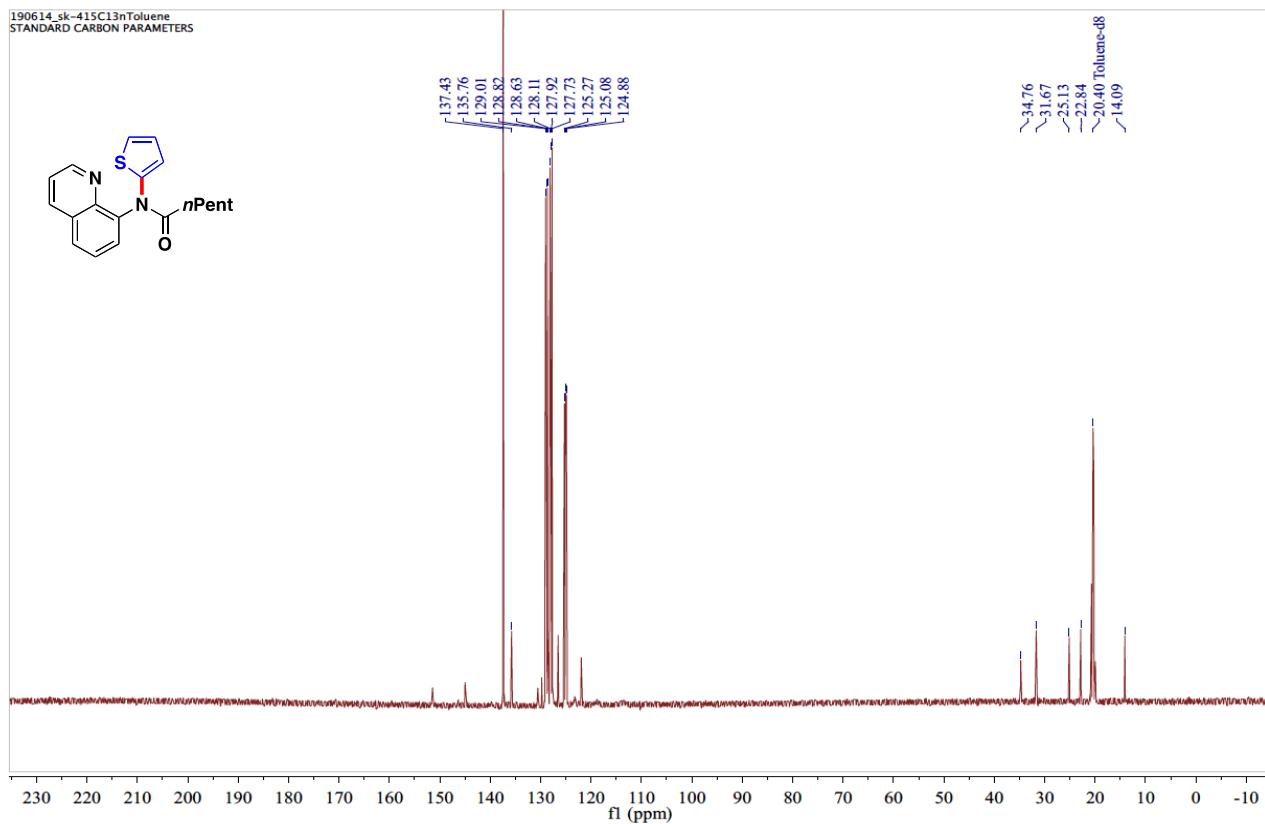
APT NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)hexanamide (3l)



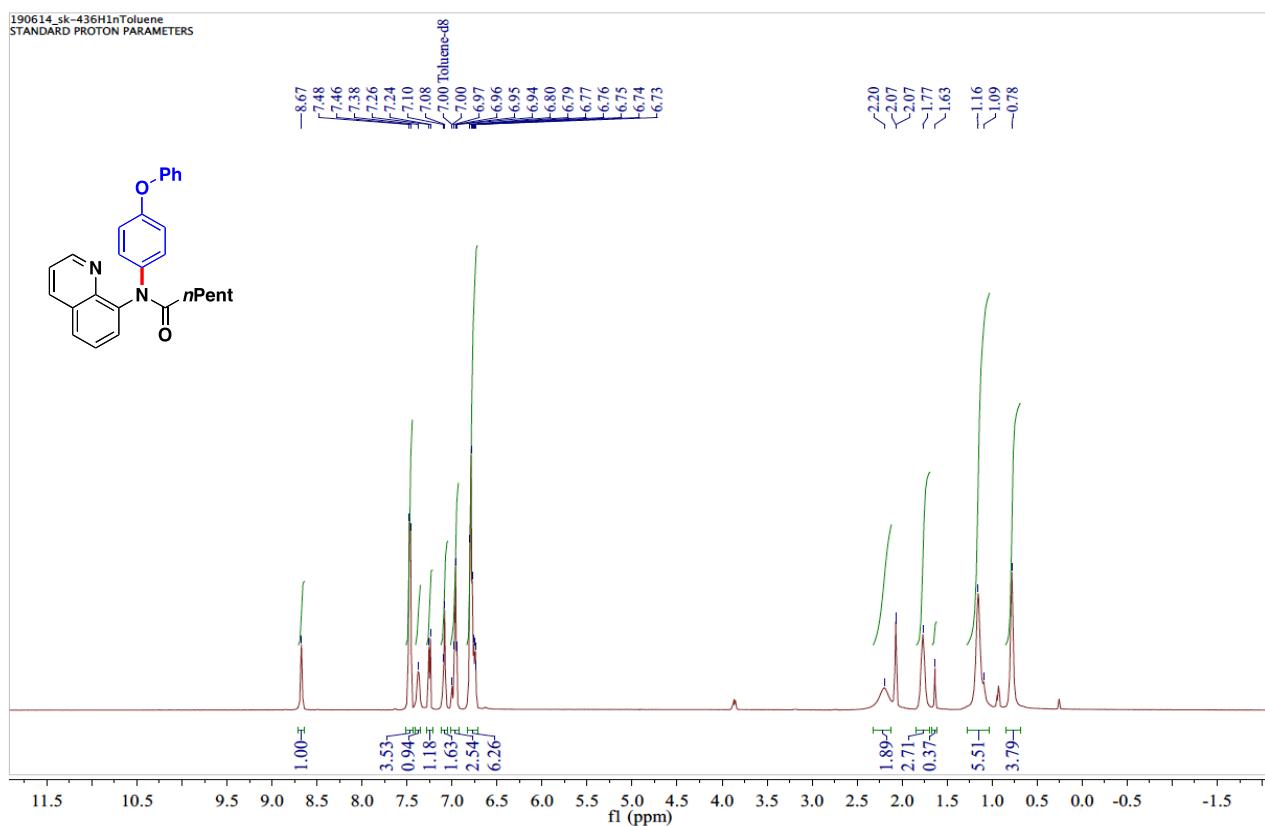
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-thiphene)hexanamide (3m)



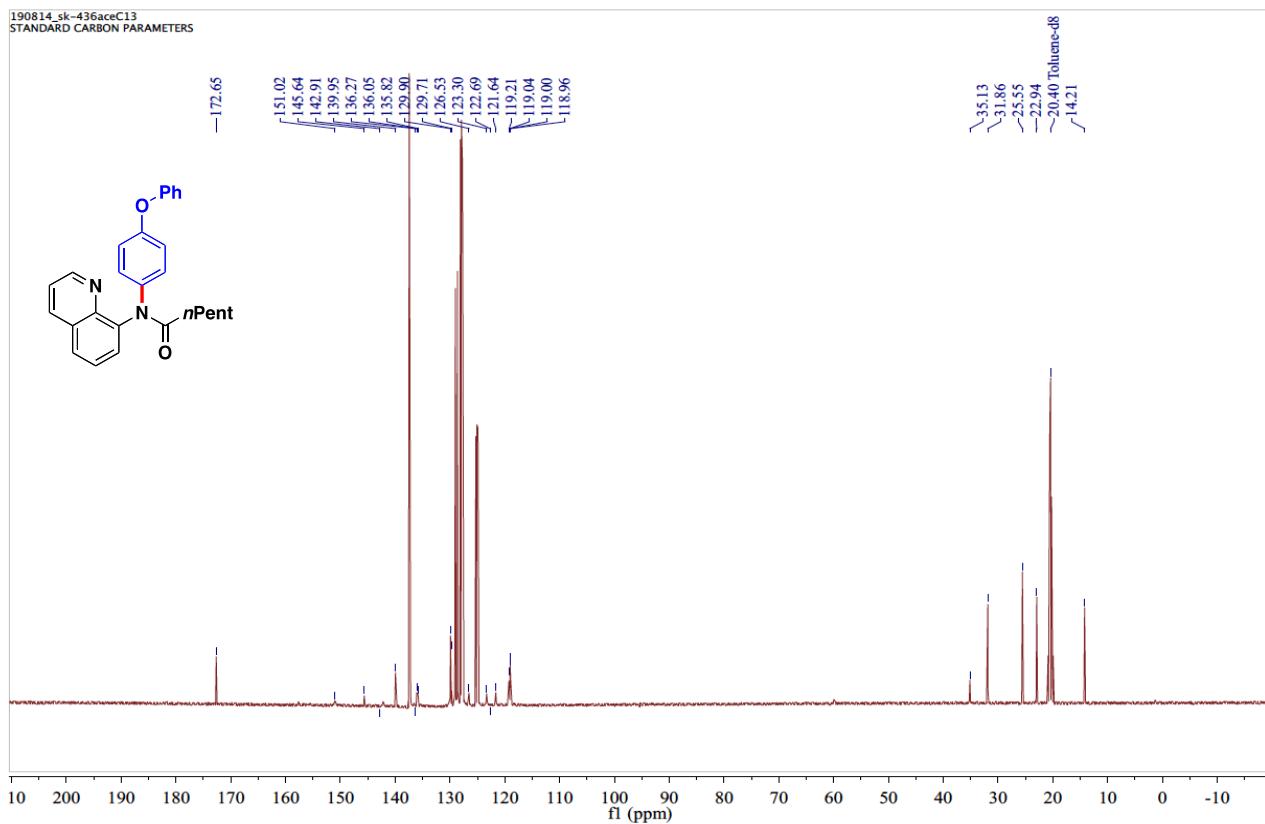
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-thiphene)hexanamide (3m)



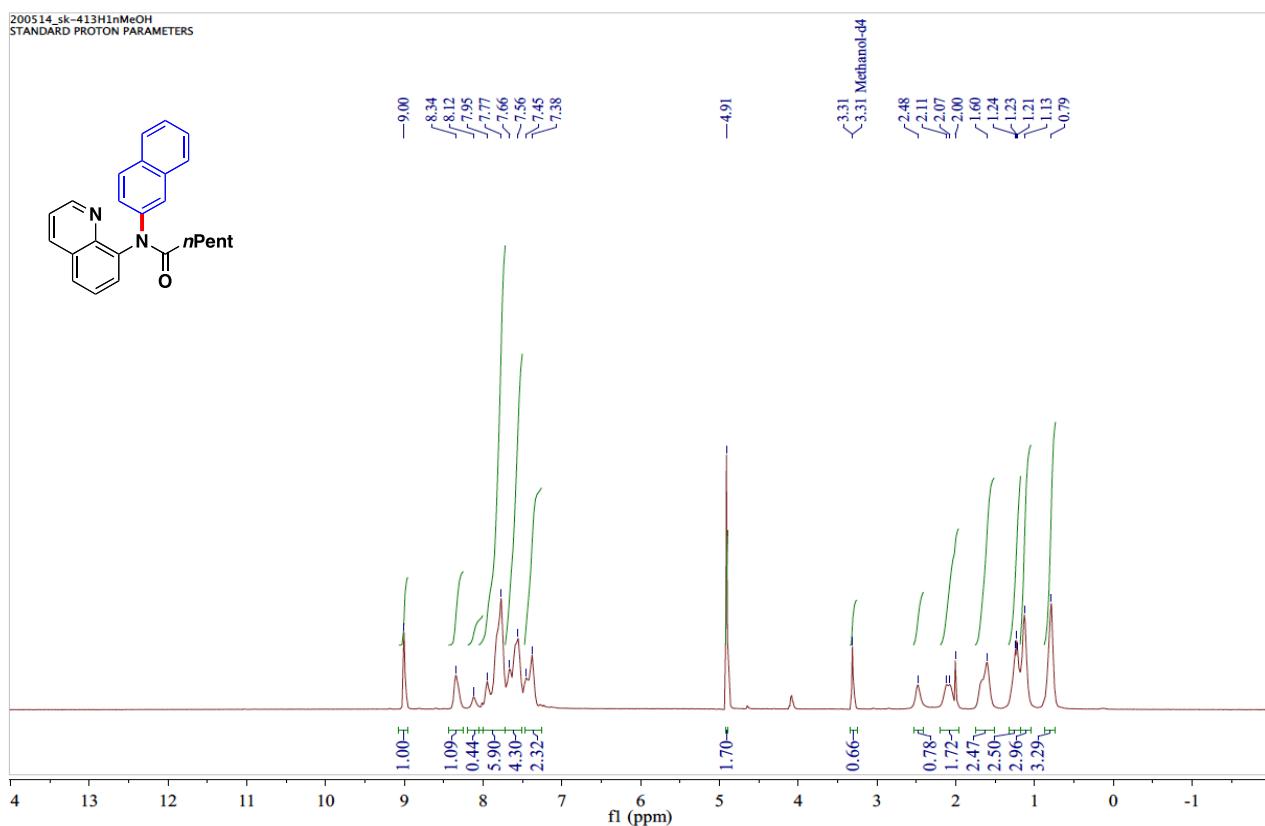
$^1\text{H}$  NMR spectrum of *N*-8-quinolinyl-*N*-(4-diphenylether)hexanamide (3n)



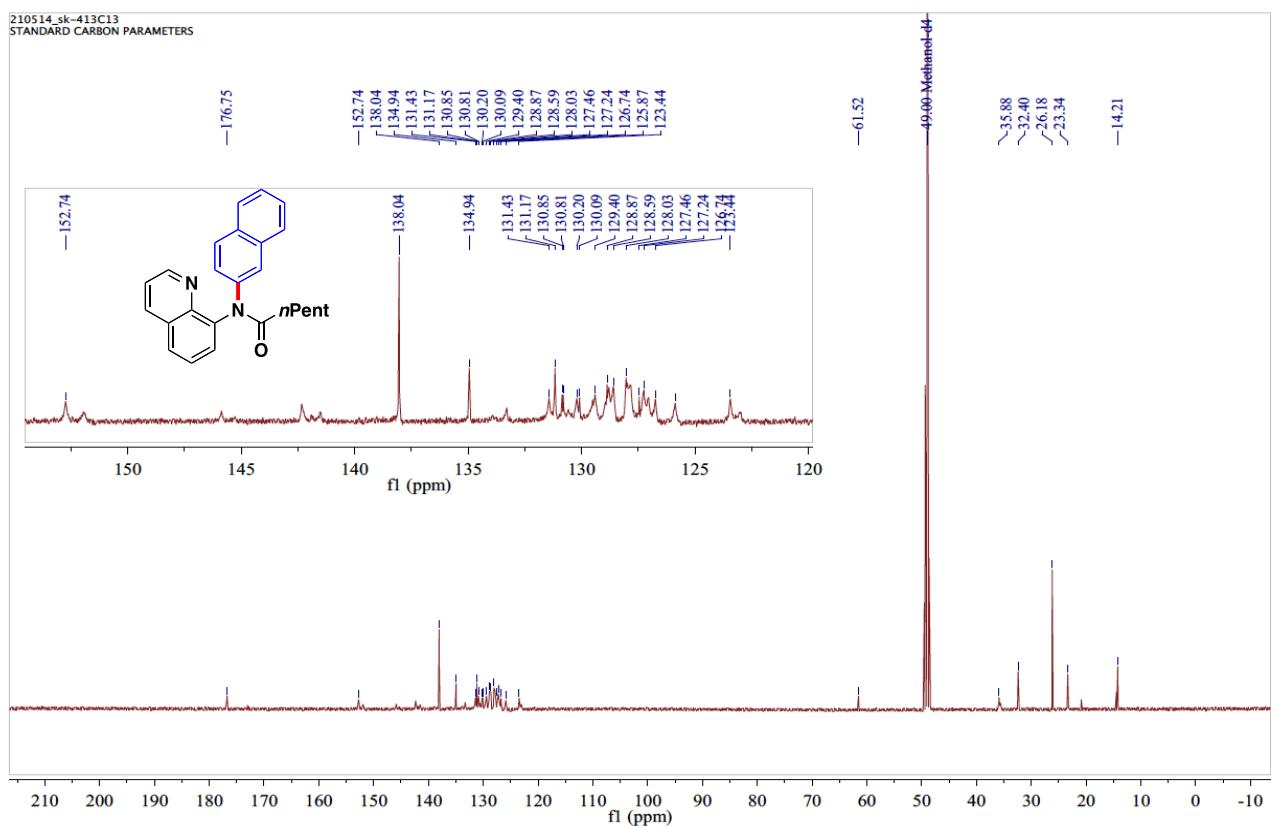
$^{13}\text{C}$  NMR spectrum of *N*-8-quinolinyl-*N*-(4-diphenylether)hexanamide (3n)



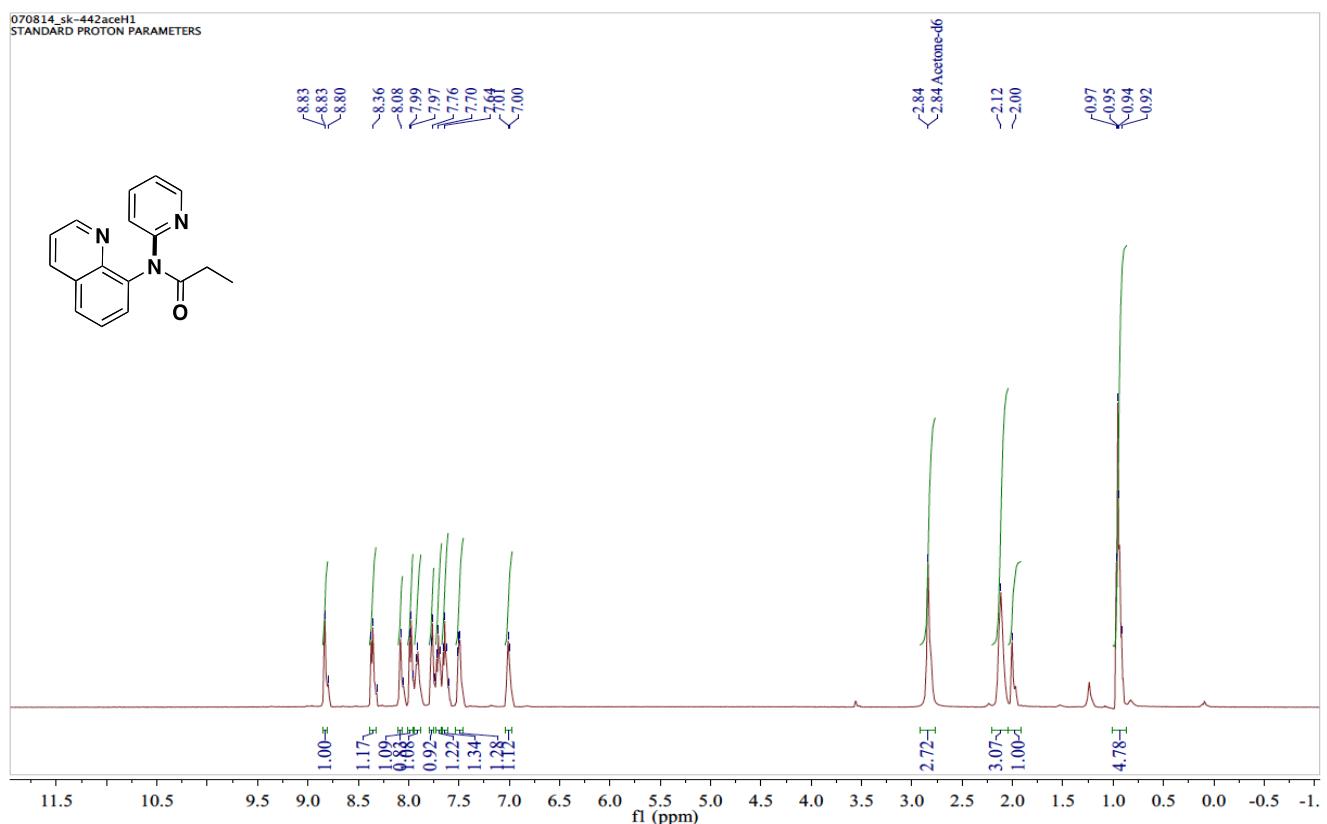
$^1\text{H}$  NMR spectrum of *N*-(8-quinolinyl)-*N*-(2-naphthalene)hexanamide (3o)



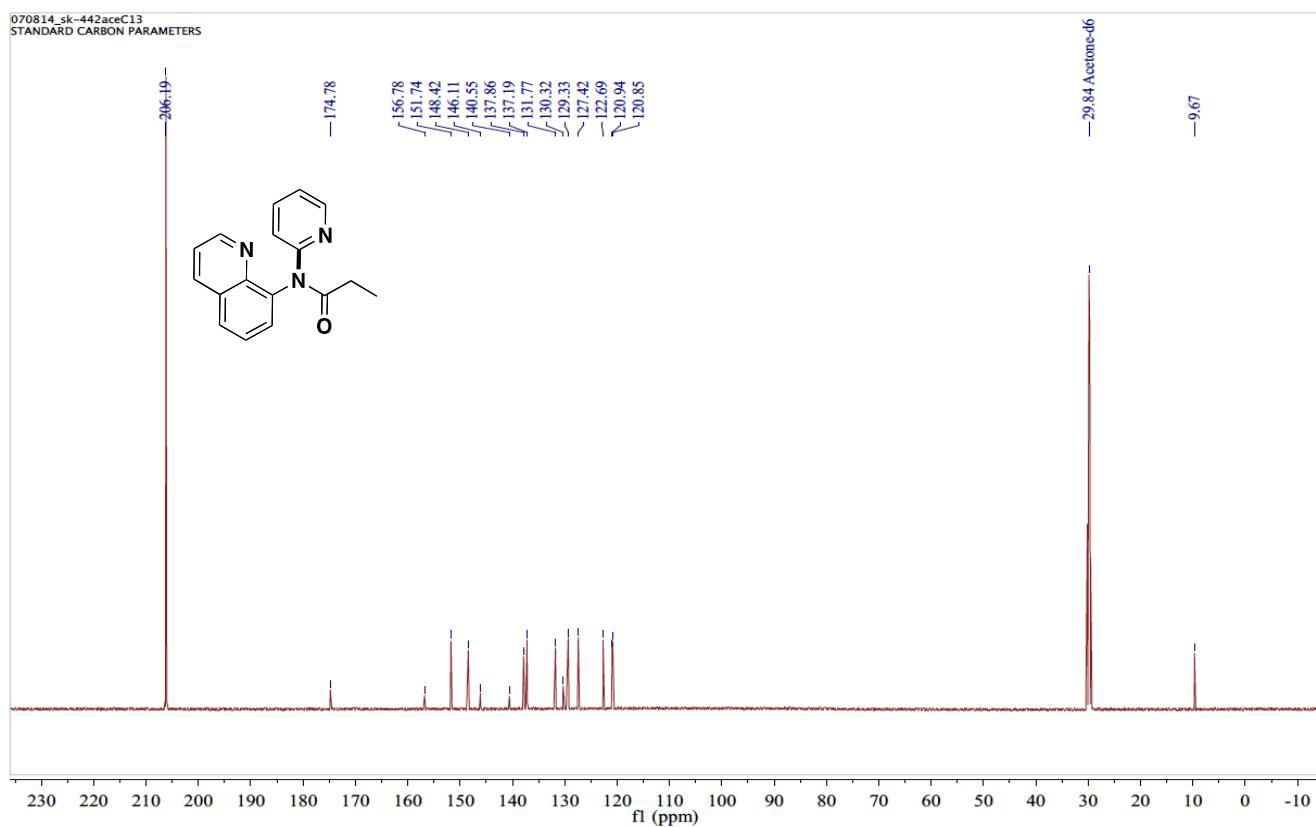
$^{13}\text{C}$  NMR spectrum of *N*-(8-quinolinyl)-*N*-(2-naphthalene)hexanamide (3o)



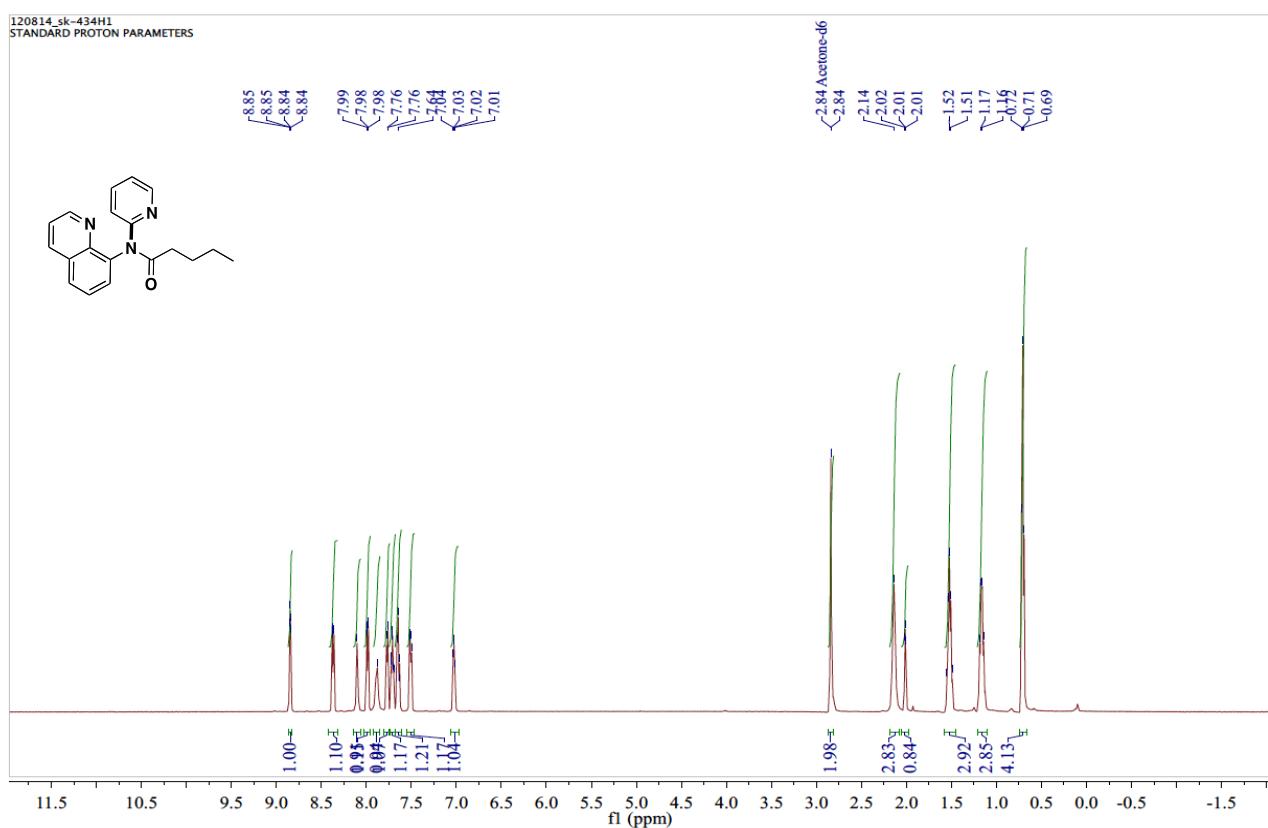
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)propanamide (5a)



<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)propanamide (5a)

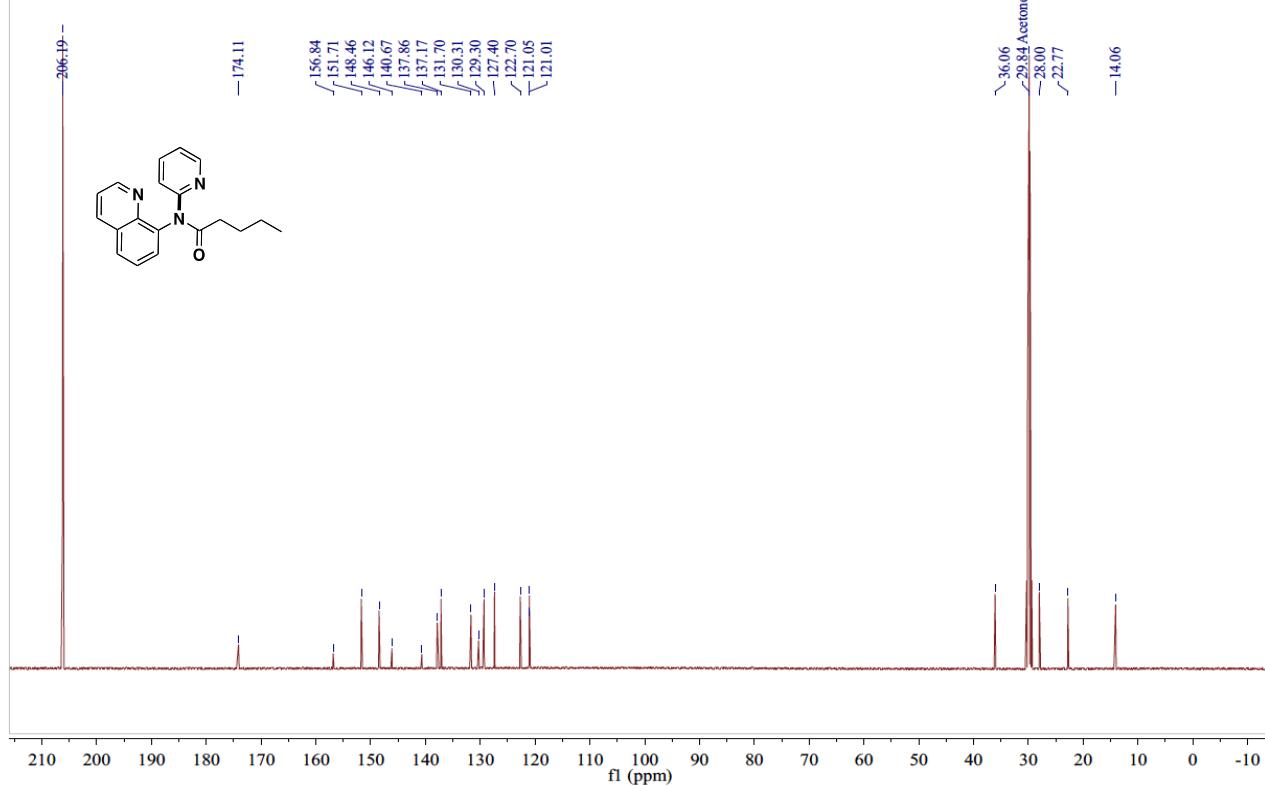


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)pentanamide (5b)



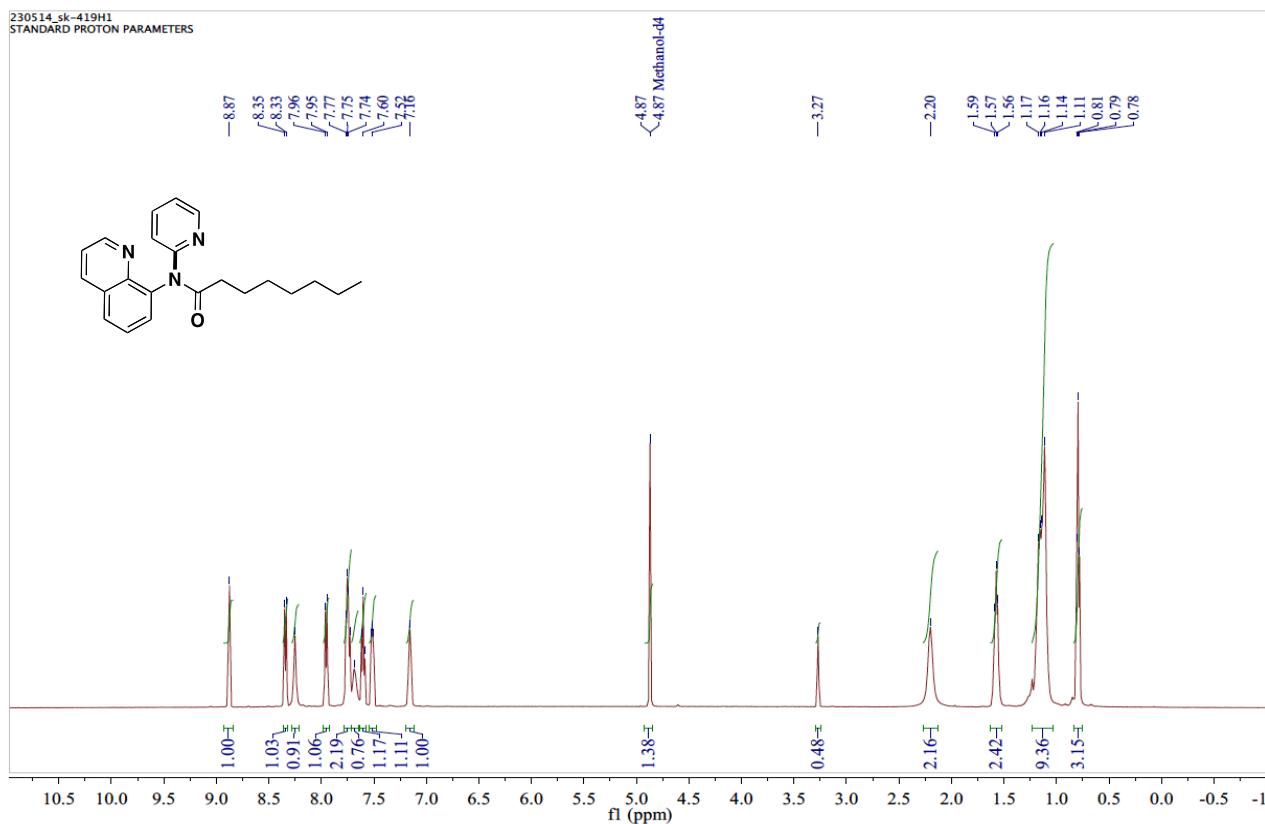
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)pentanamide (5b)

120814\_sk-434C13  
STANDARD CARBON PARAMETERS

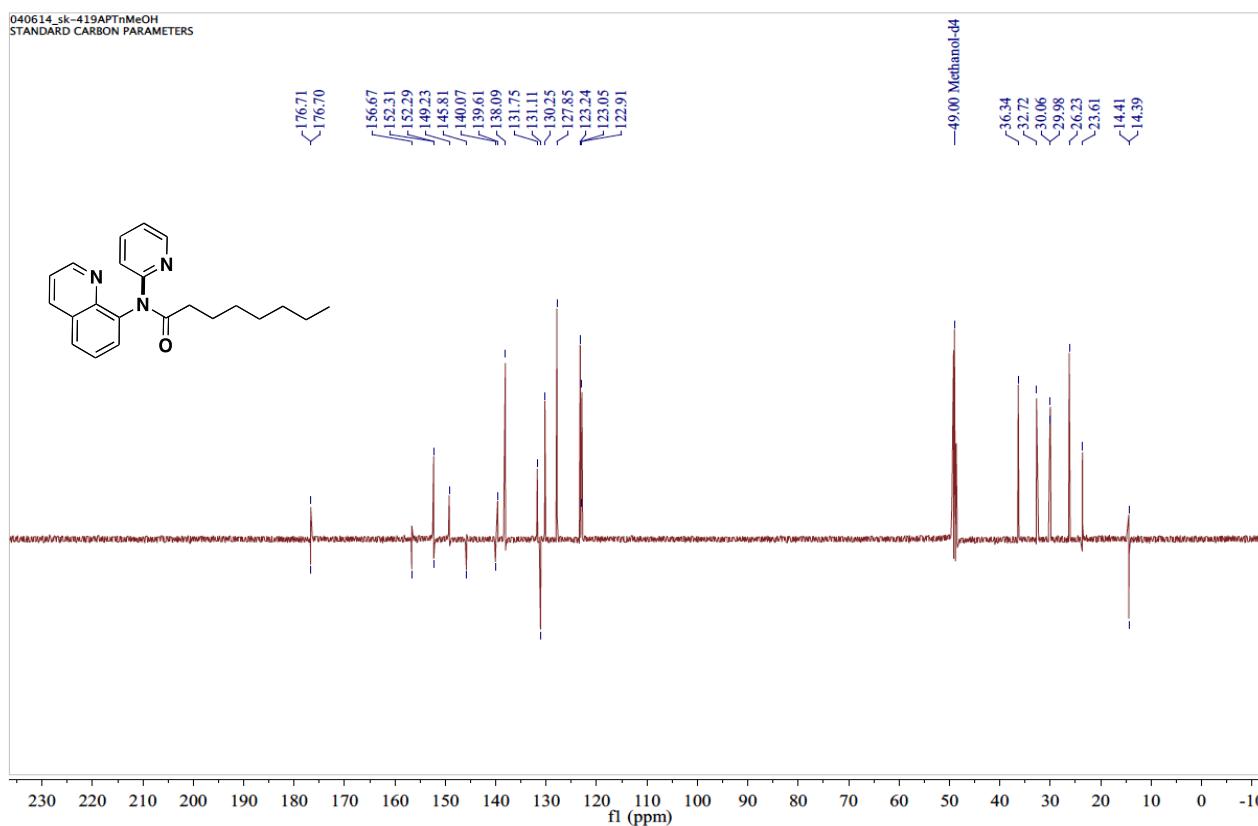
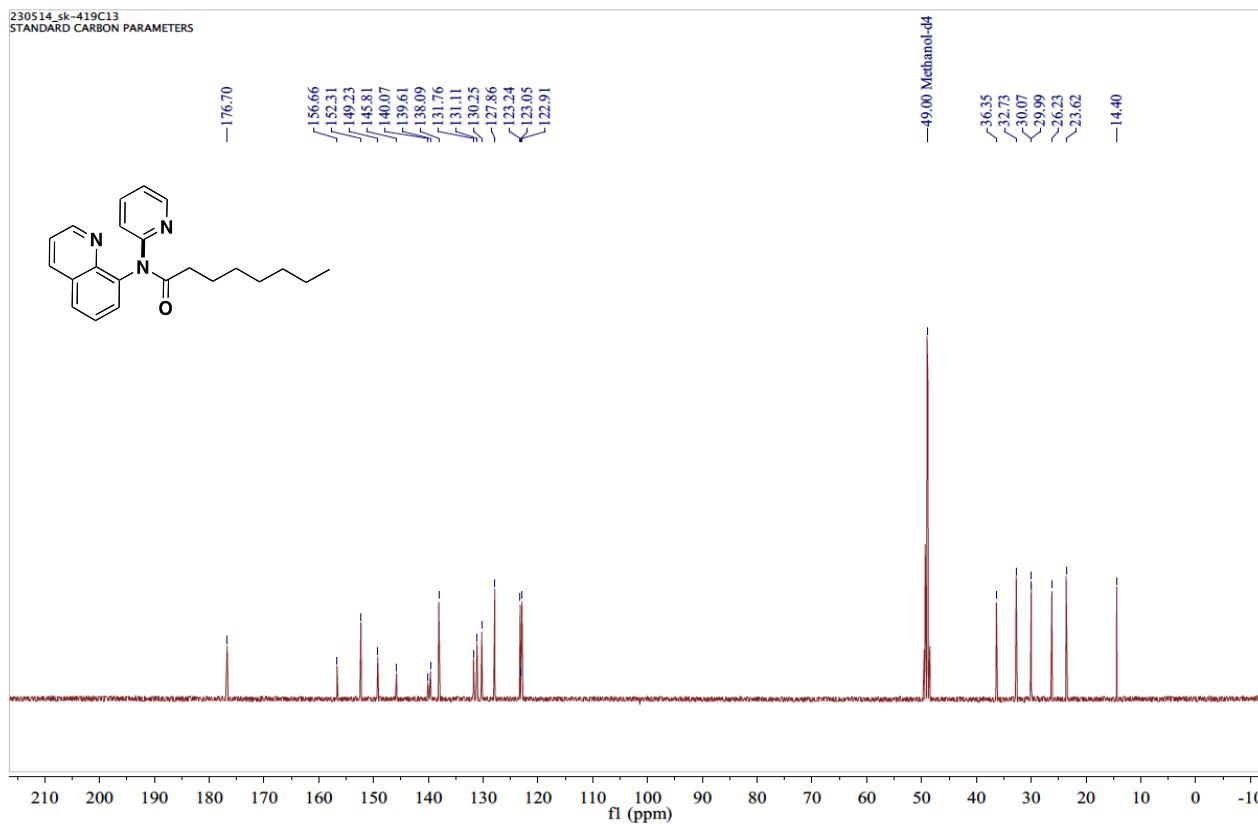


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)octanamide (5c)

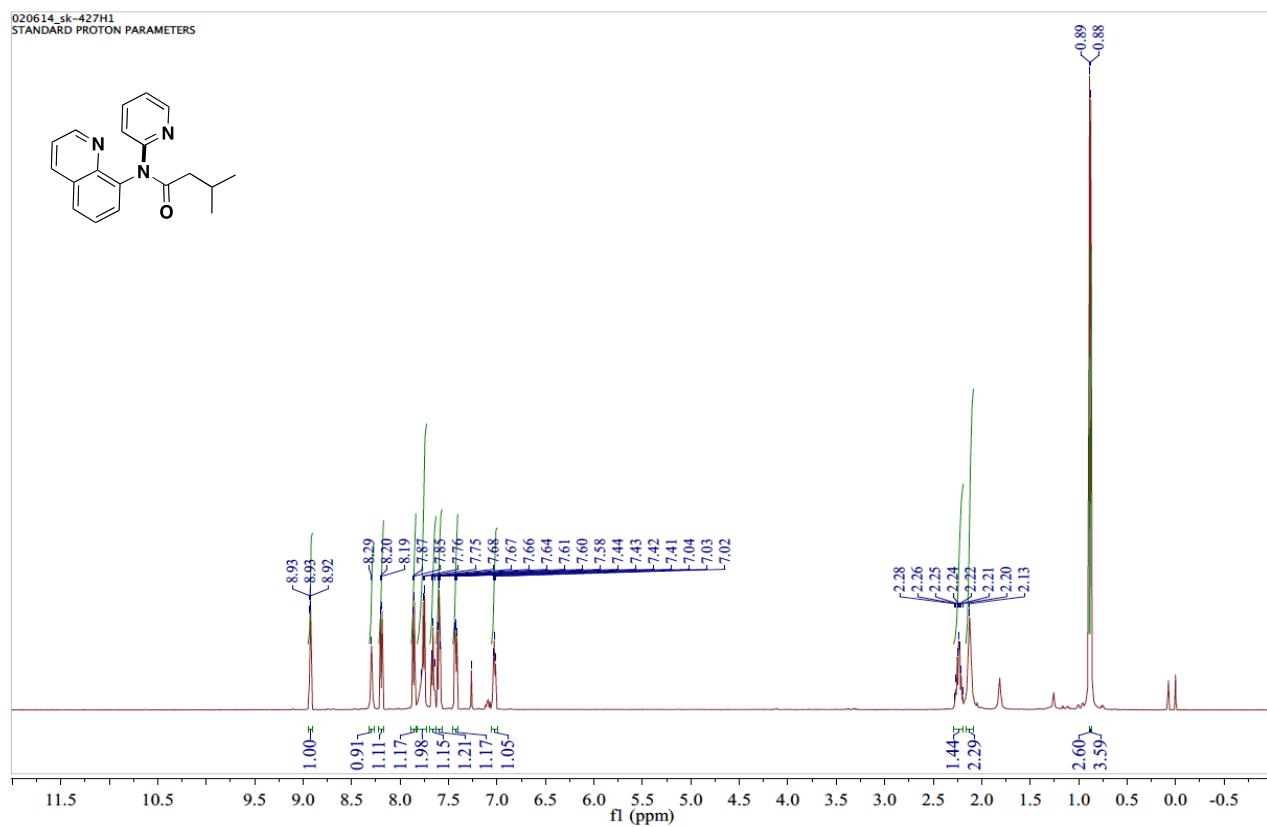
230514\_sk-419H1  
STANDARD PROTON PARAMETERS



<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)octanamide (5c)

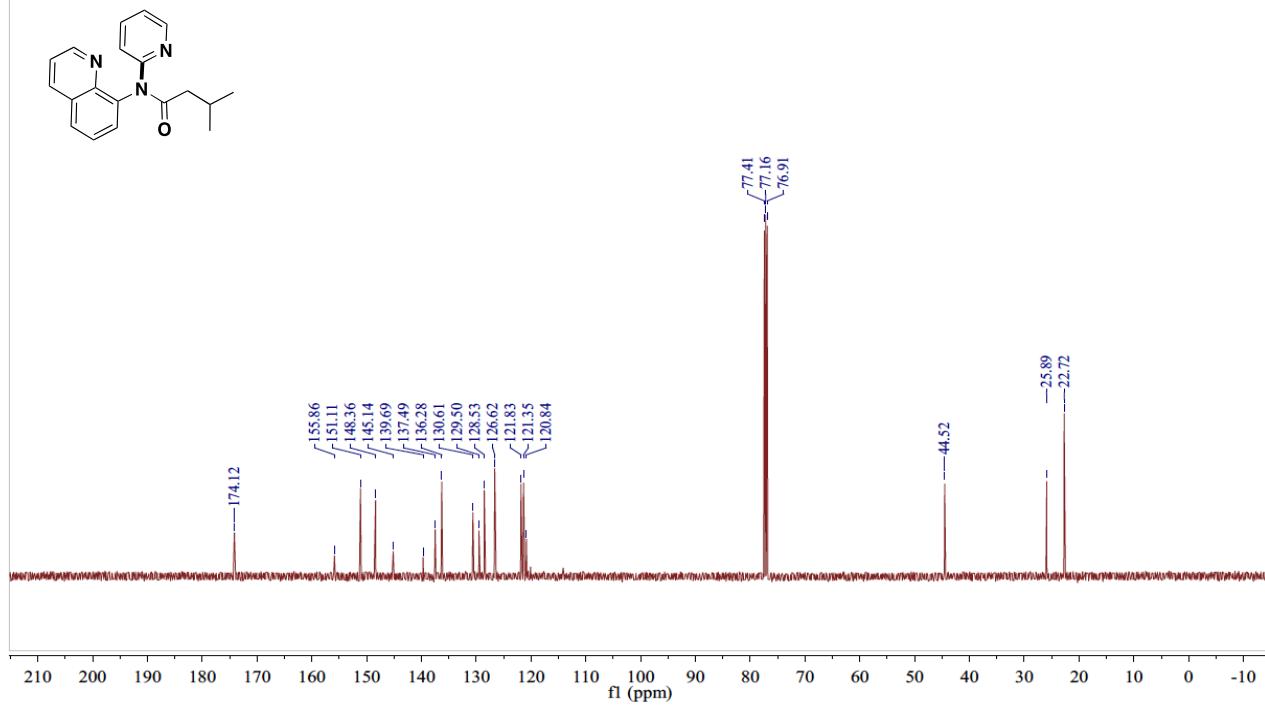


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)-2-methylbutanamide (**5d**)



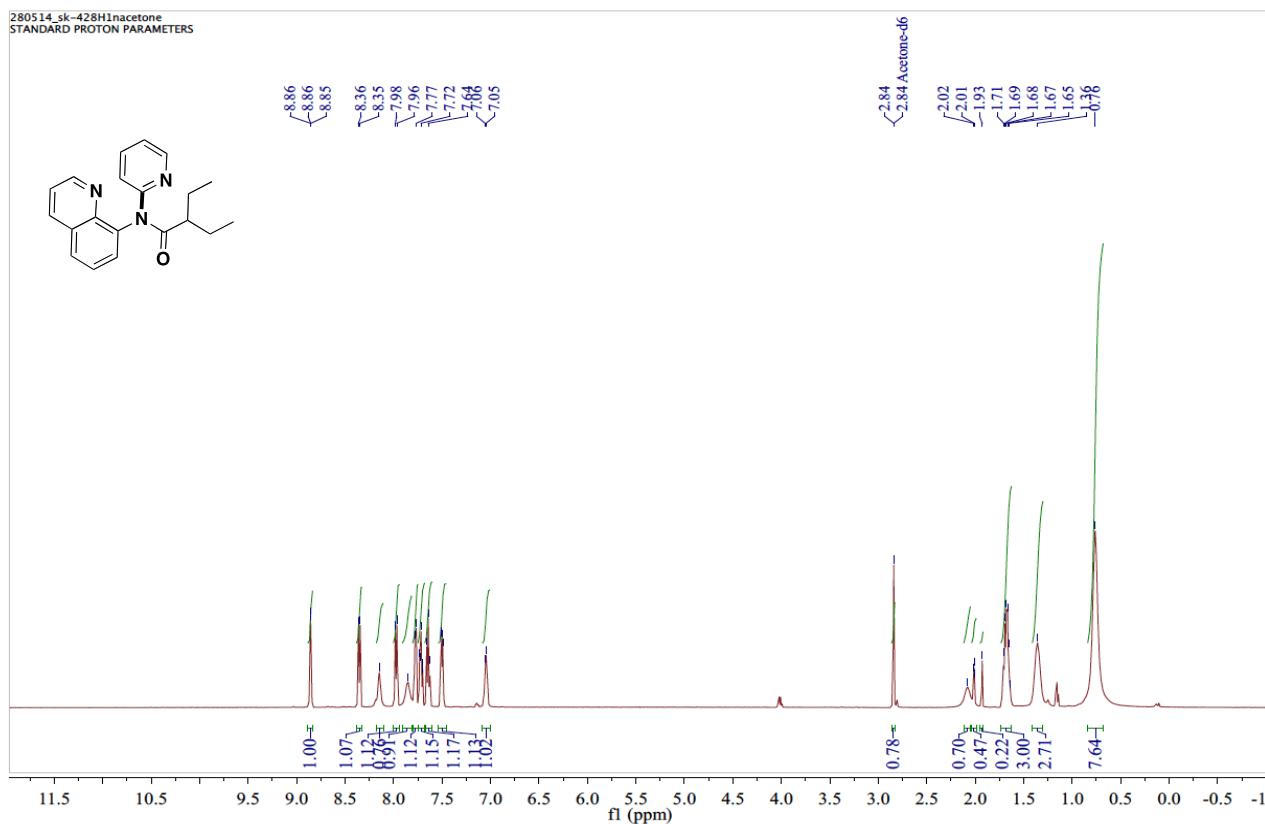
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)-2-methylbutanamide (**5d**)

020614\_sk-427C13  
STANDARD CARBON PARAMETERS



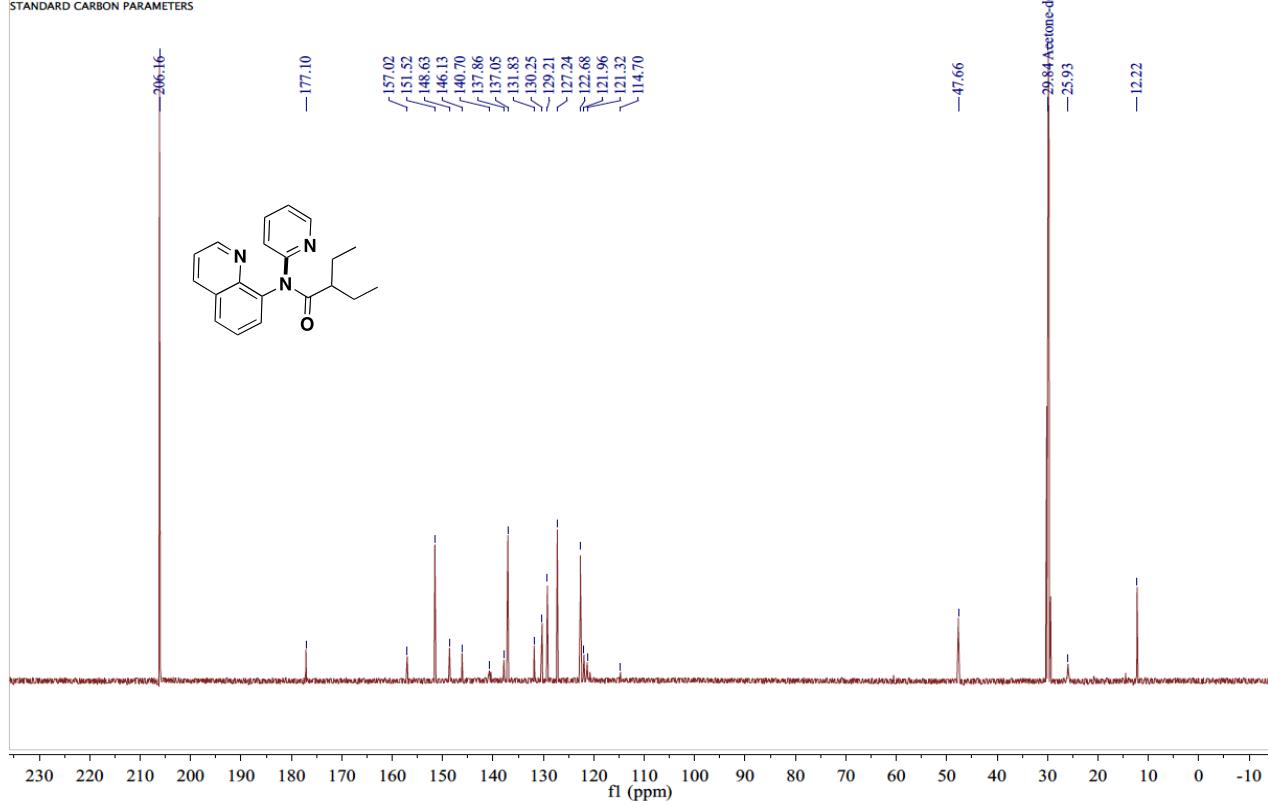
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)-2-ethylbutanamide (5e)

280514\_sk-428H1acetone  
STANDARD PROTON PARAMETERS



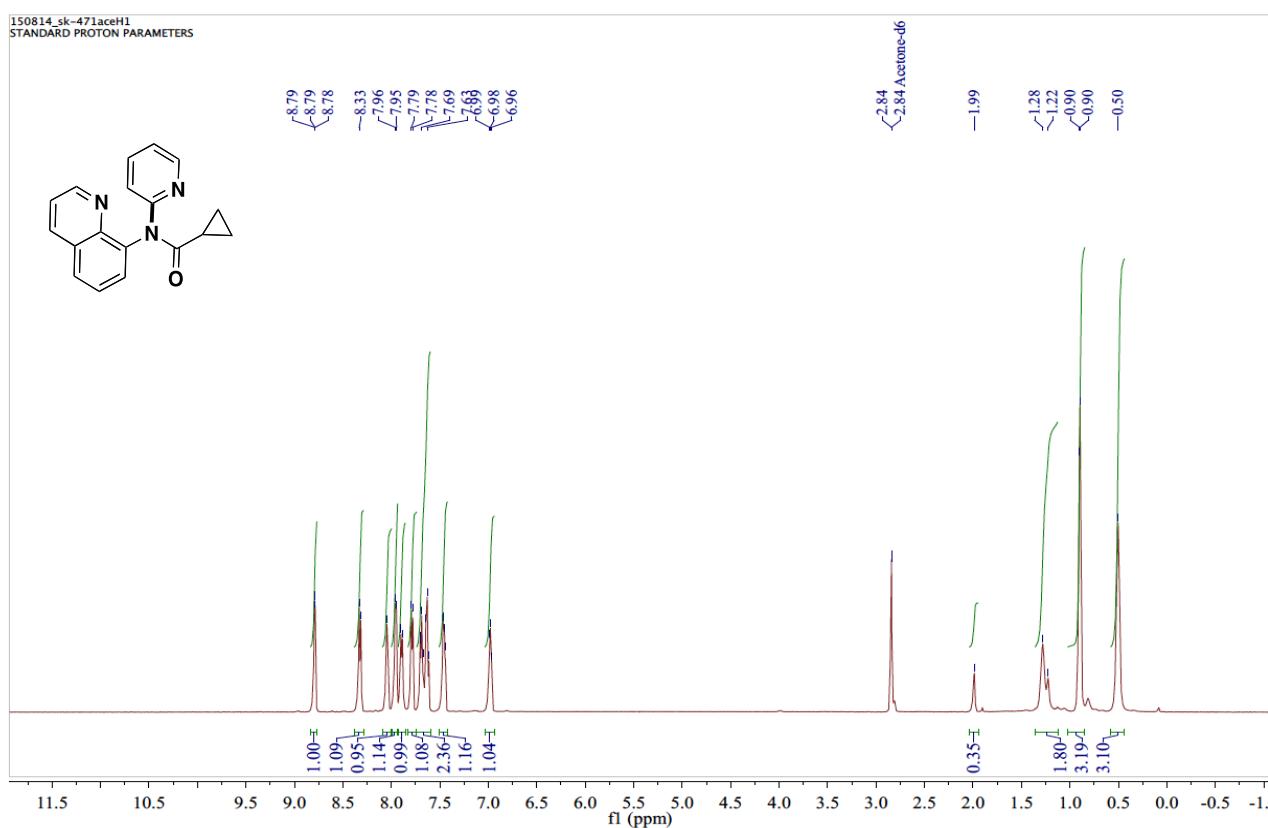
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)-2-ethylbutanamide (5e)

280514\_sk-428C13nacetone  
STANDARD CARBON PARAMETERS

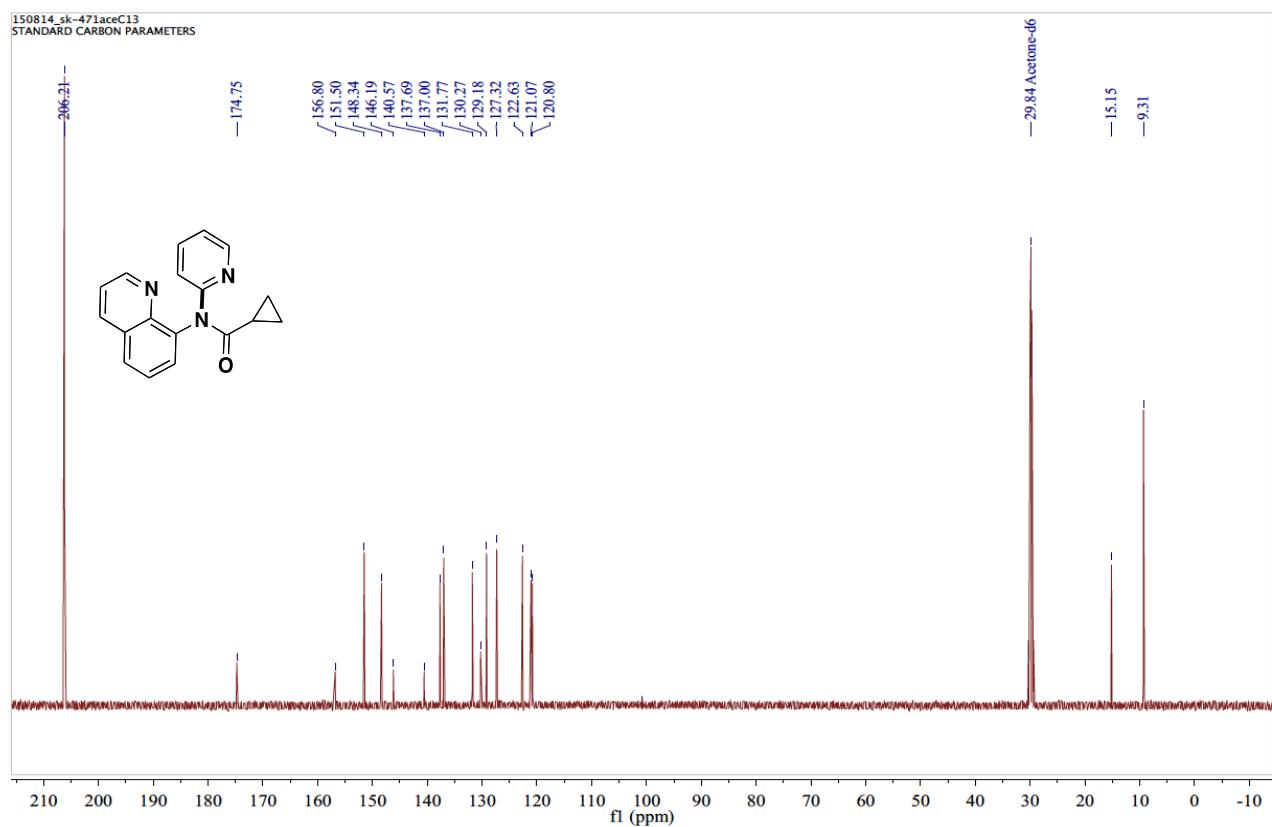


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopropanamide (5f)

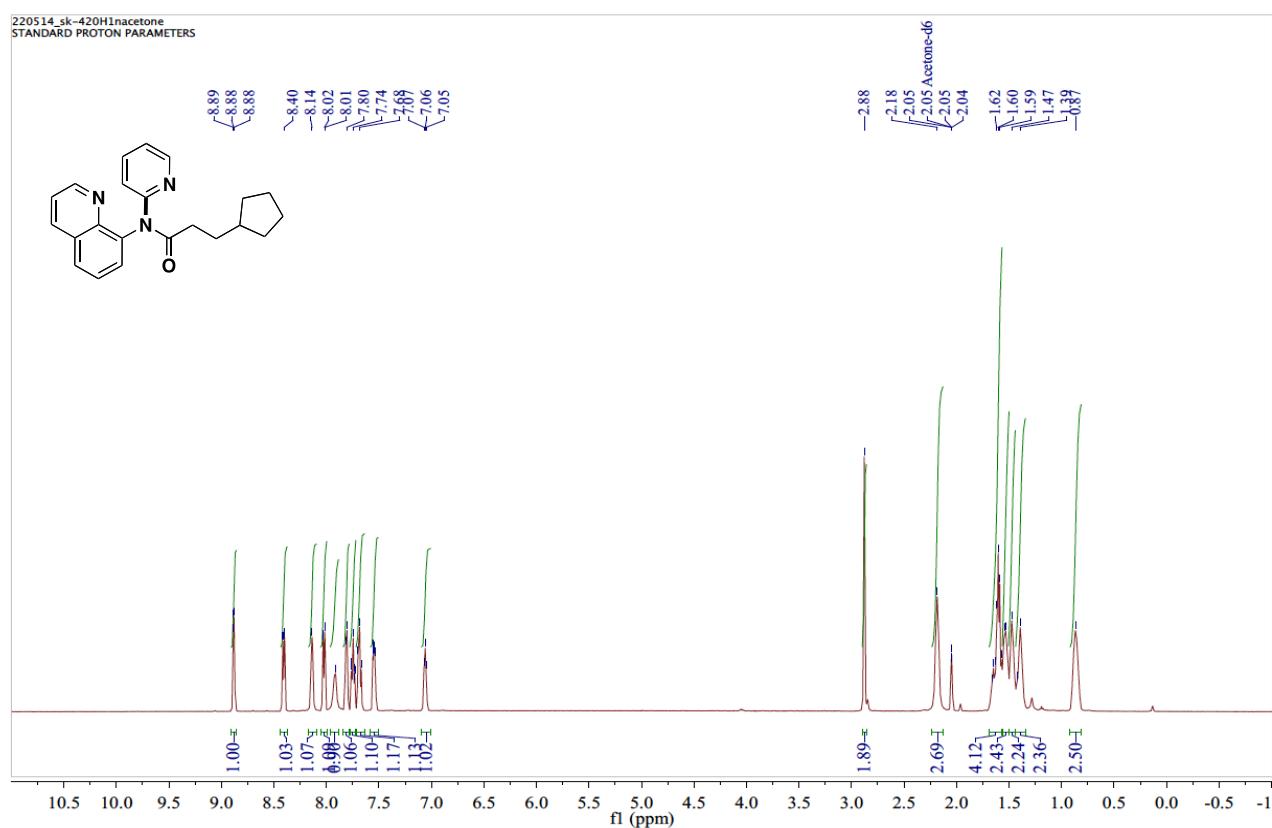
150814\_sk-471aceH1  
STANDARD PROTON PARAMETERS



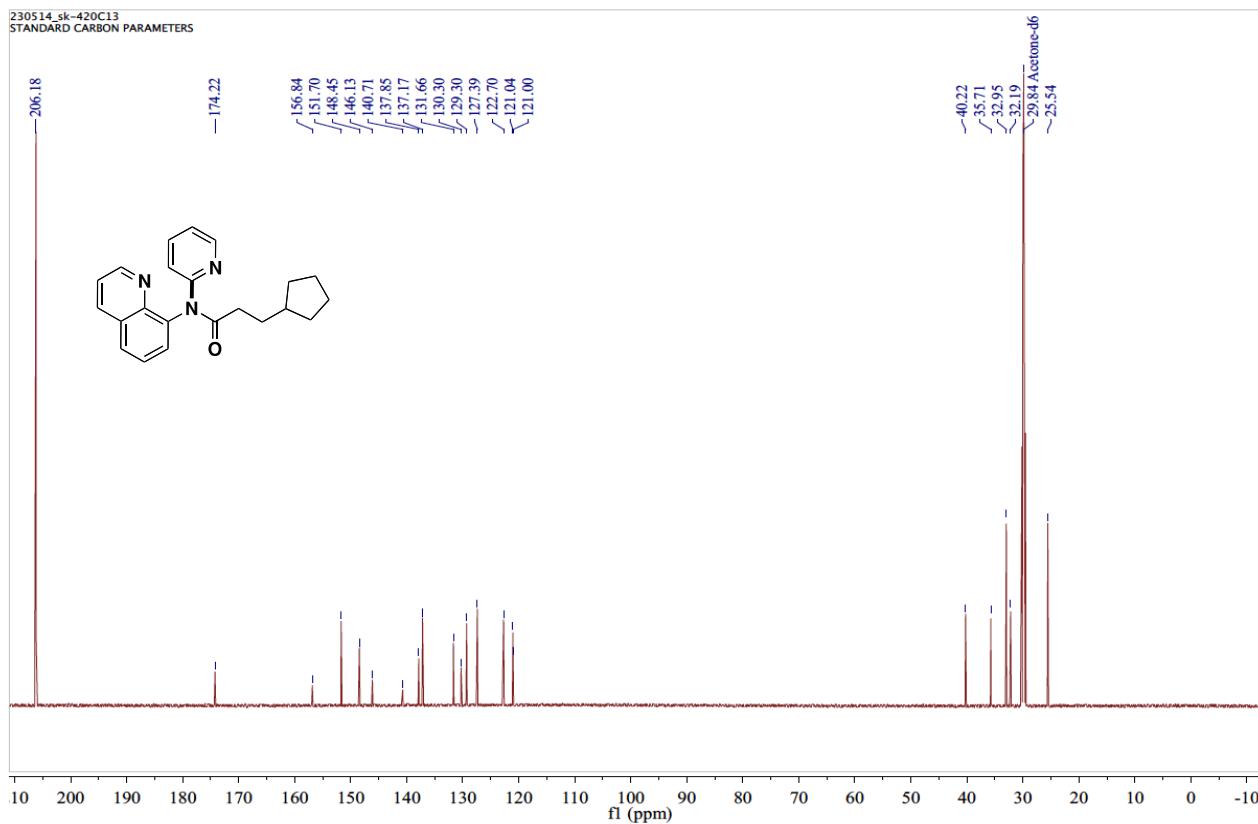
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopropanamide (5f)



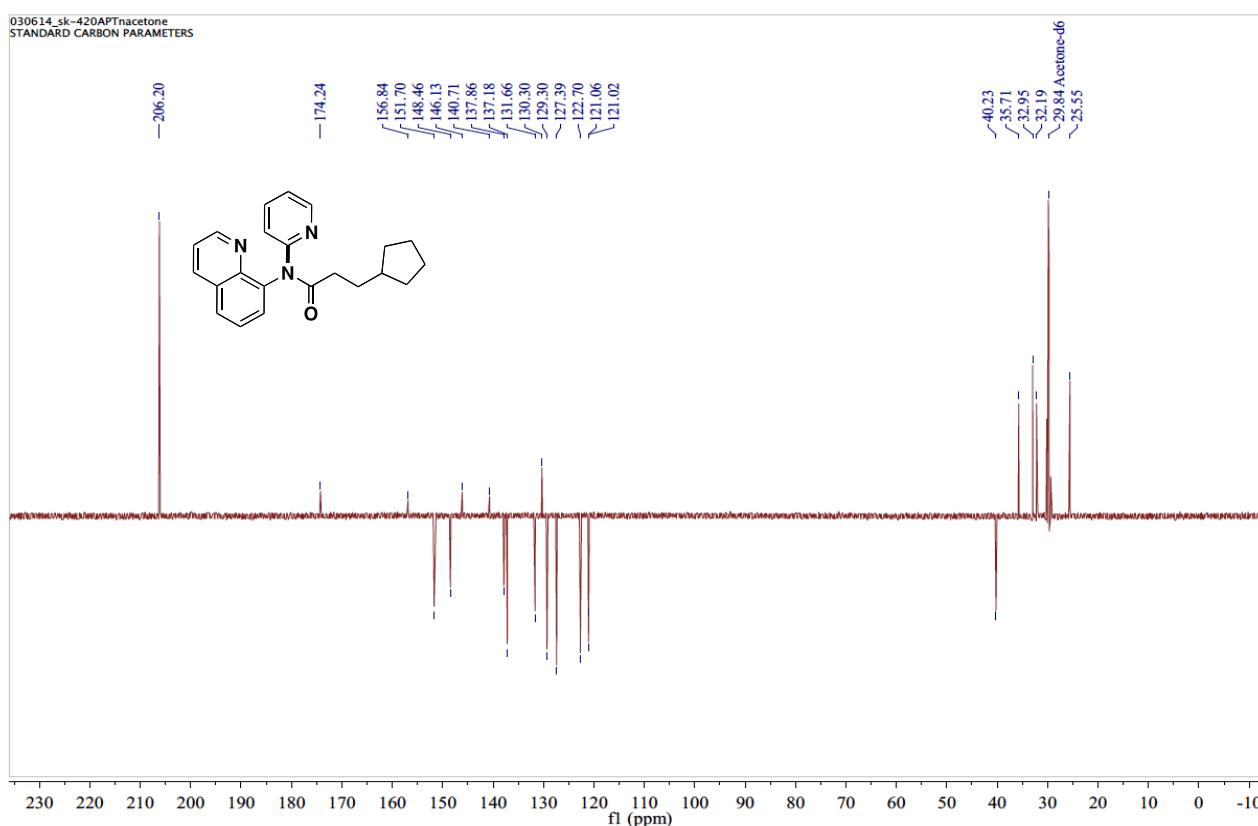
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopentylacetamide (5g)



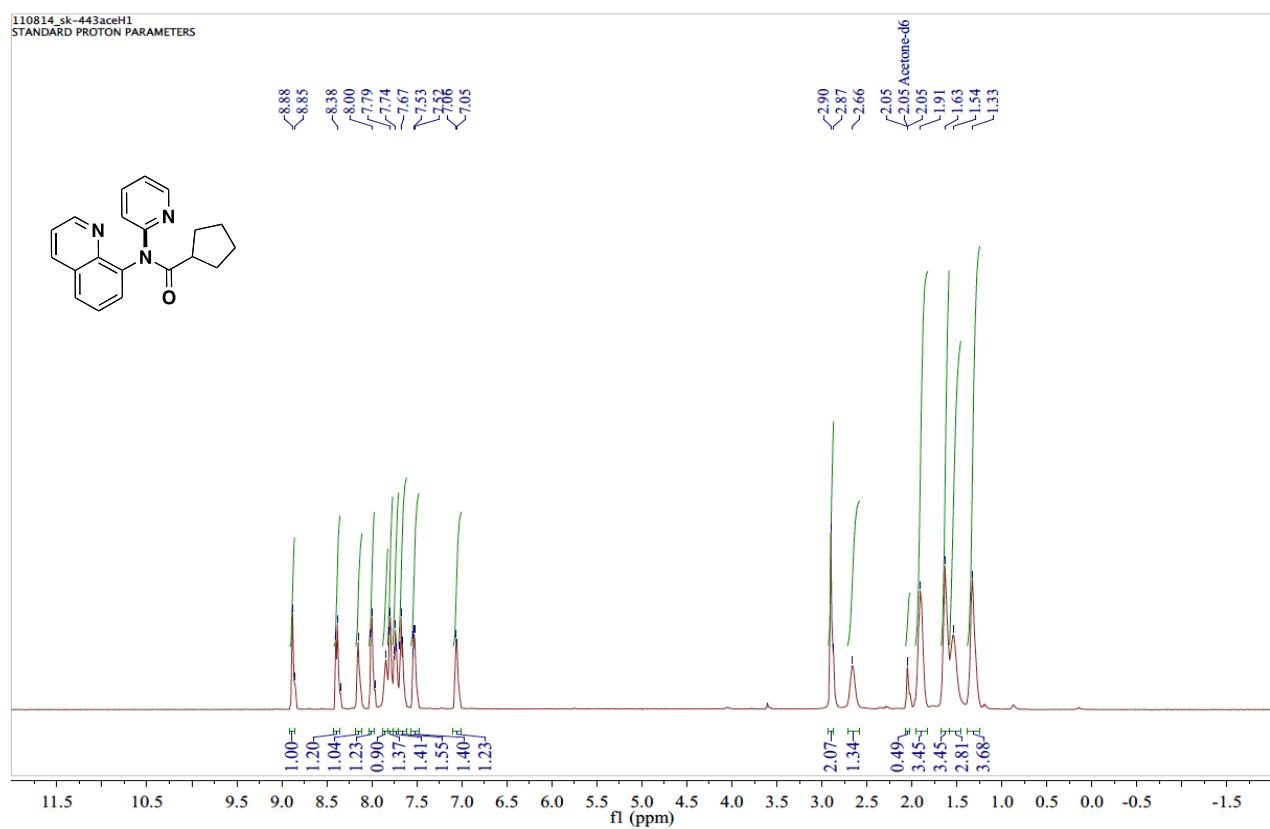
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopentylacetamide (5g)



APT NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopentylacetamide (**5g**)

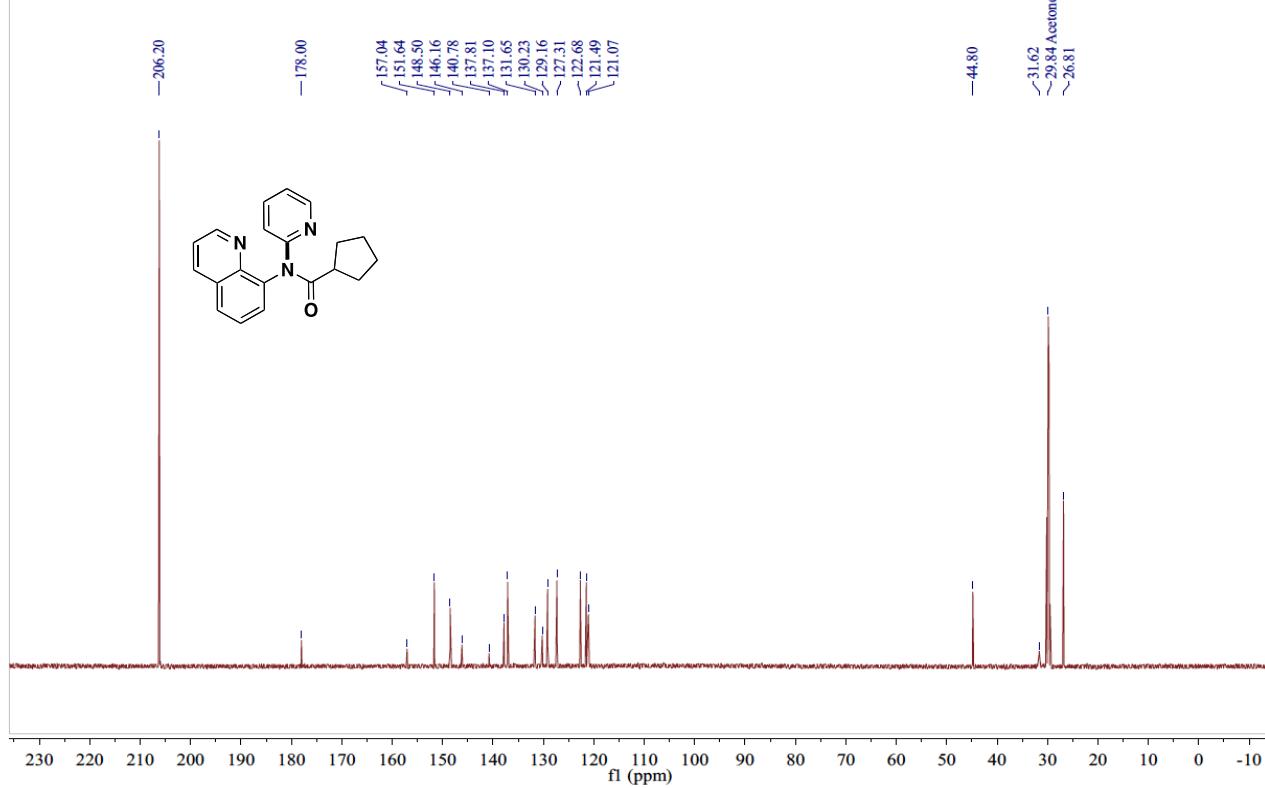


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopentamide (**5h**)



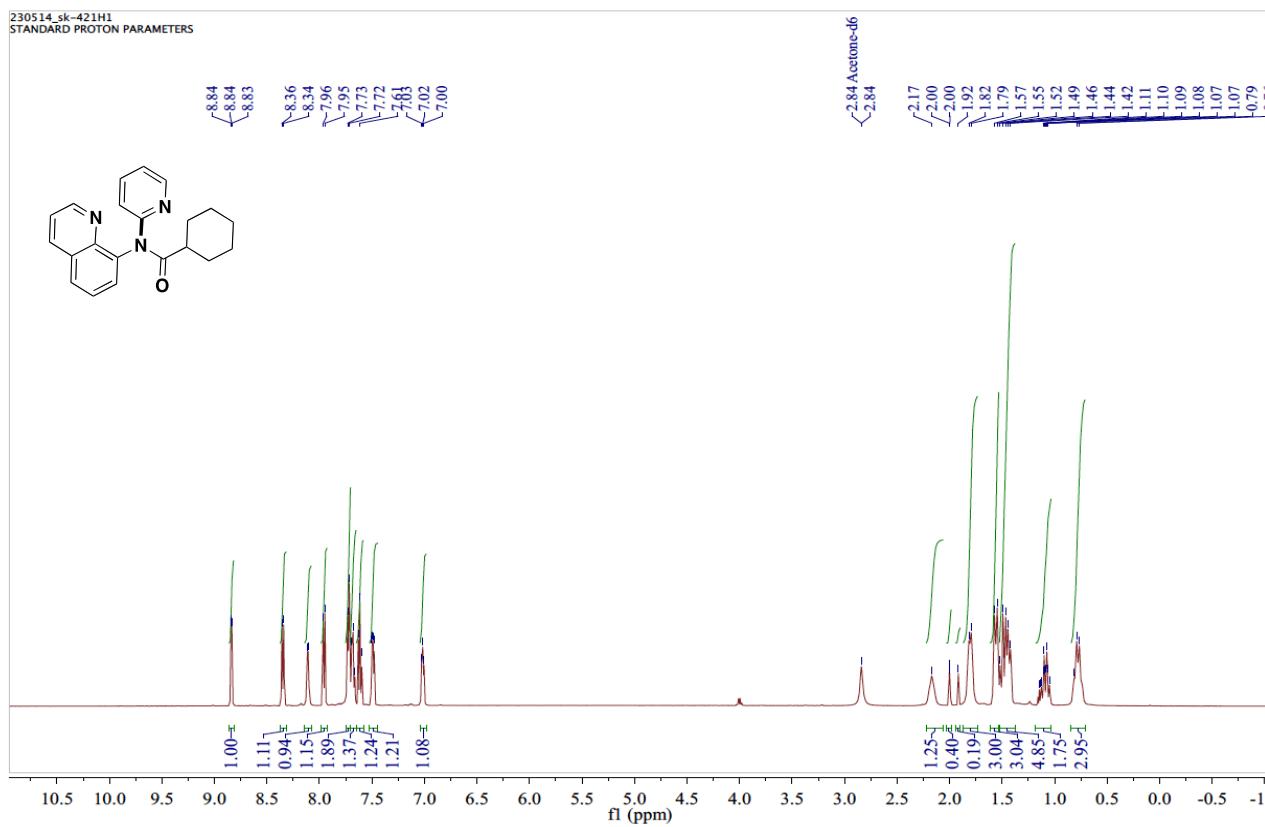
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclopentamide (**5h**)

110814\_sk-443aceC13  
STANDARD CARBON PARAMETERS

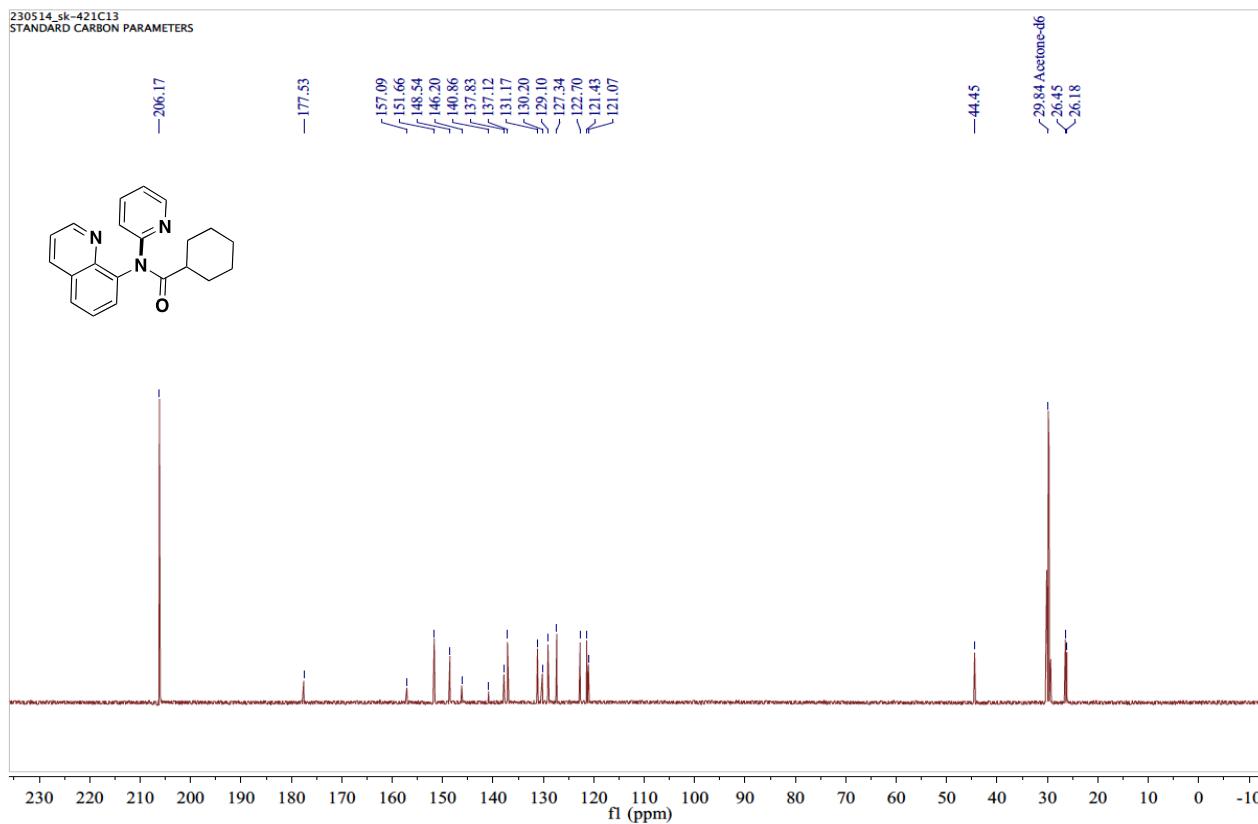


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclohexylamide (5i)

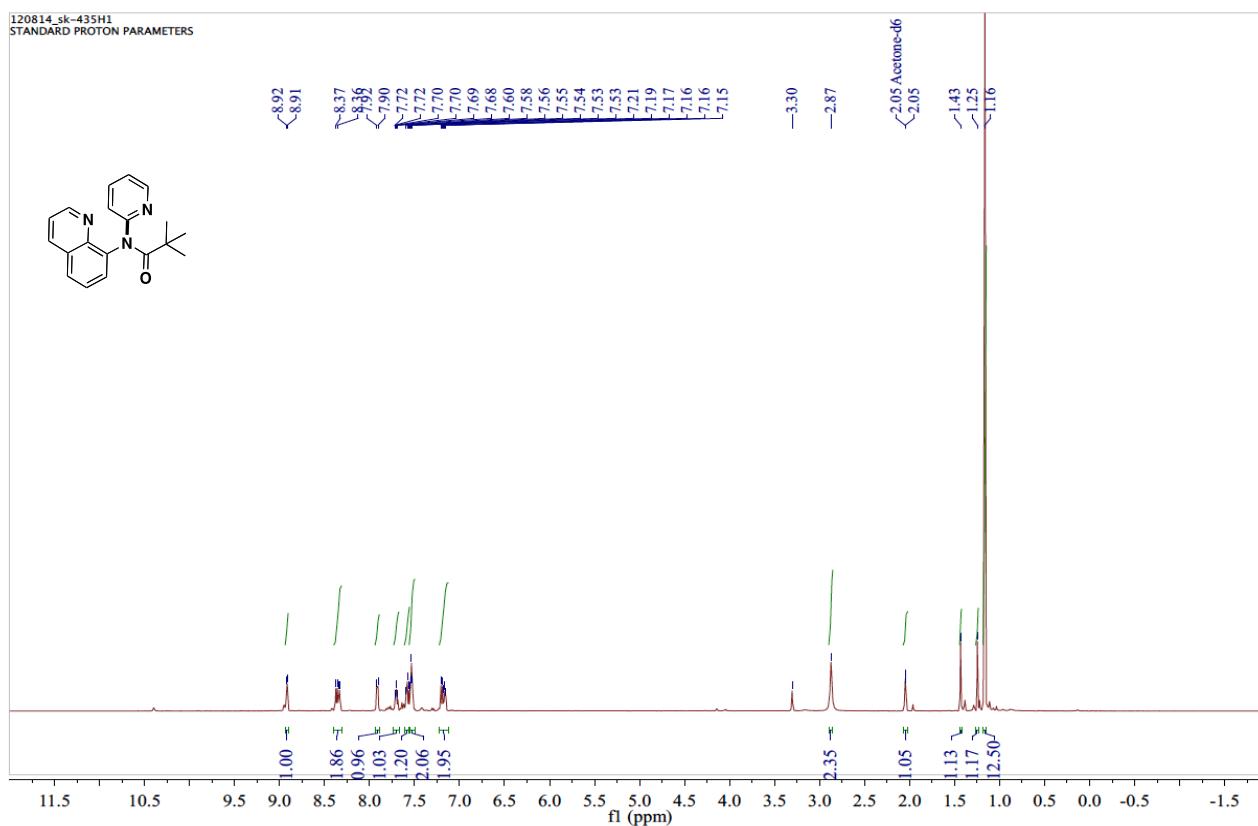
230514\_sk-421H1  
STANDARD PROTON PARAMETERS



<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)cyclohexylamide (5i)

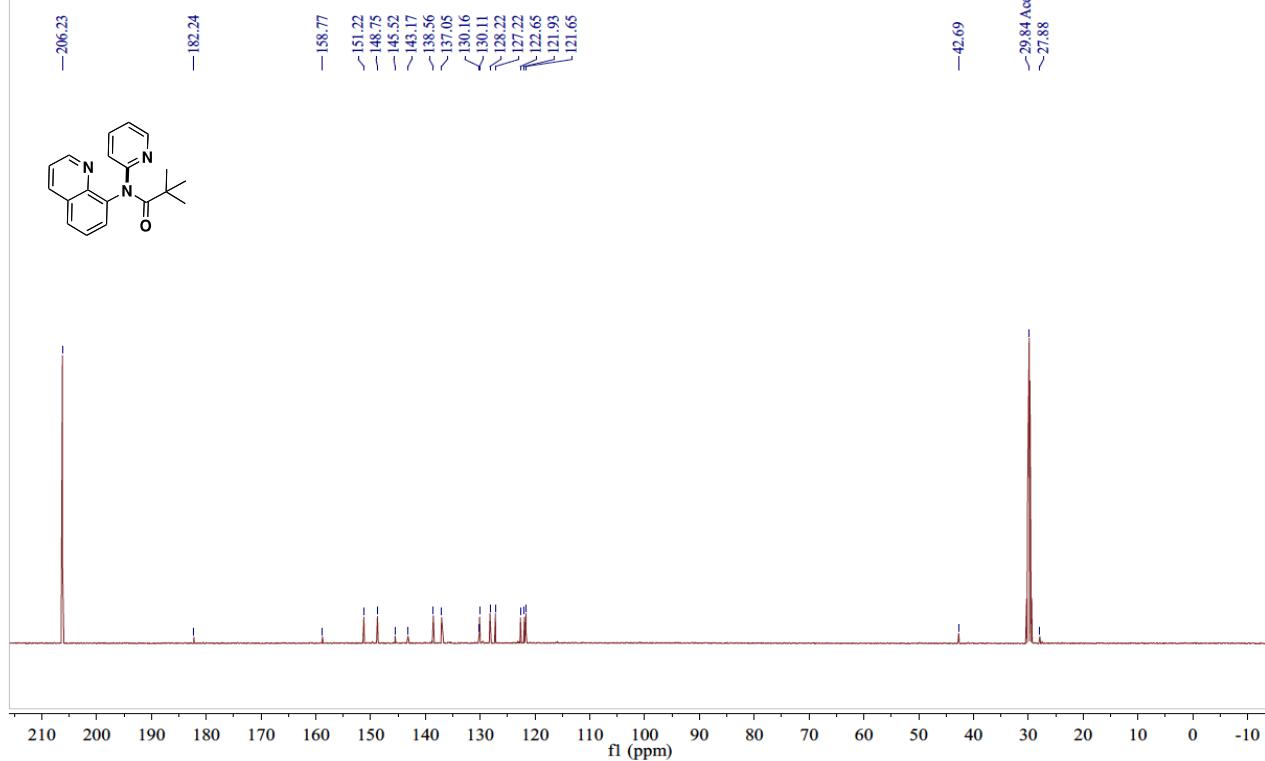


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)pivalimide (5j)



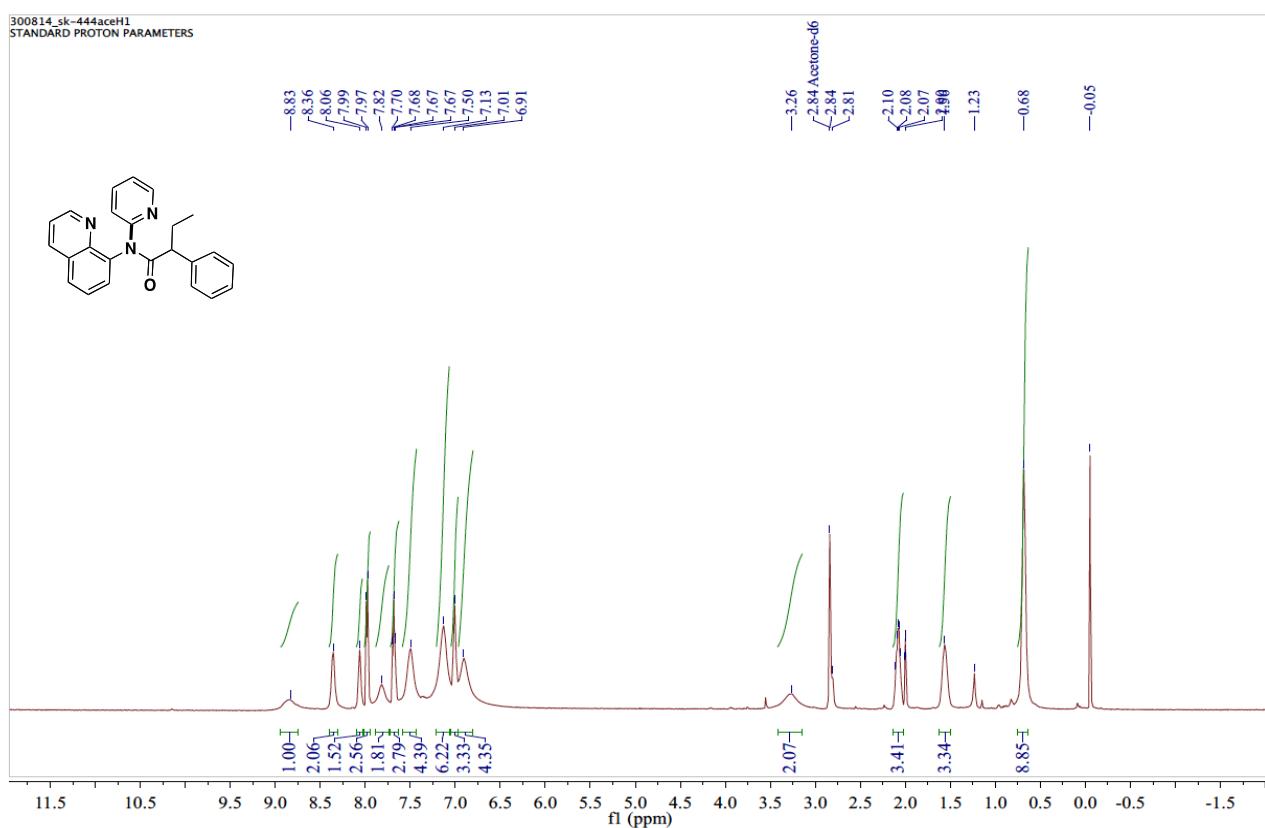
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)pivalimide (5j)

180814\_sk-435aceC13  
STANDARD CARBON PARAMETERS

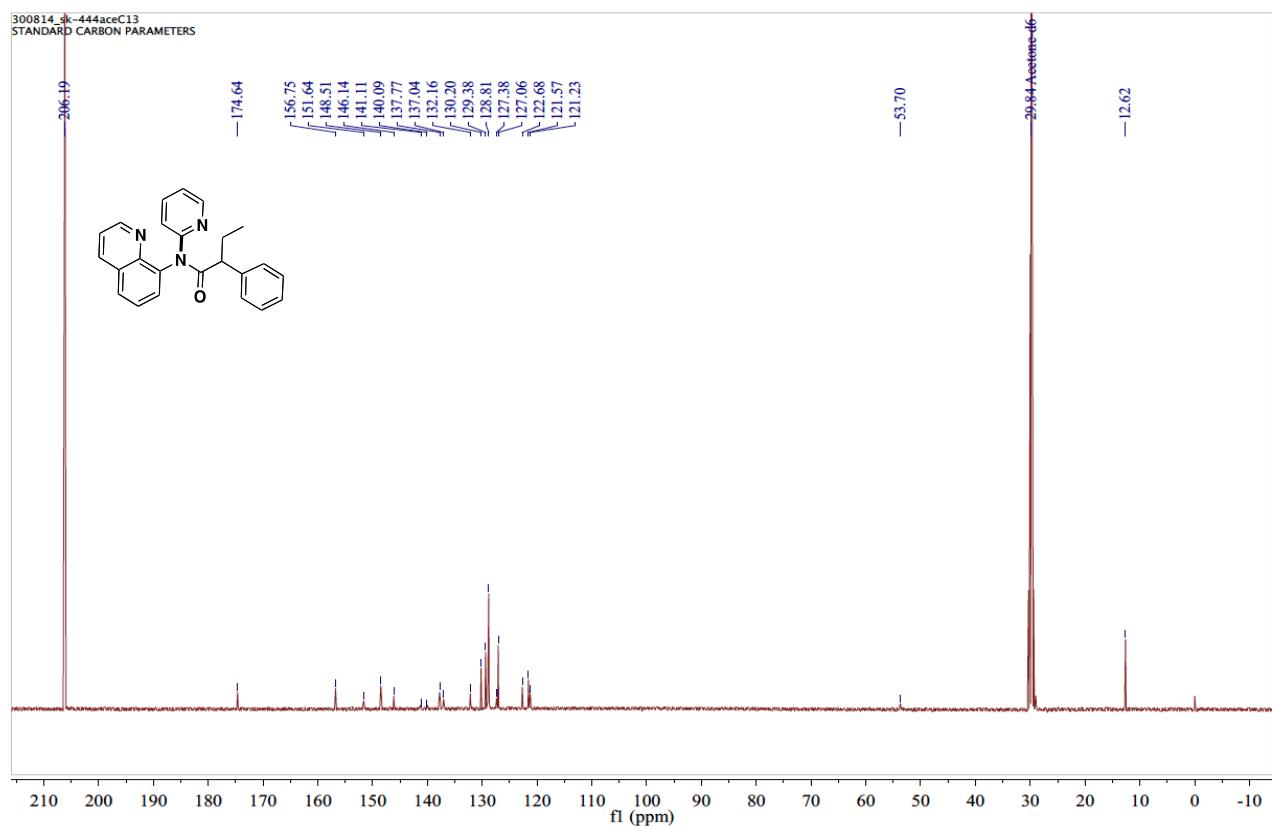


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)-2-phenylbutanamide (**5k**)

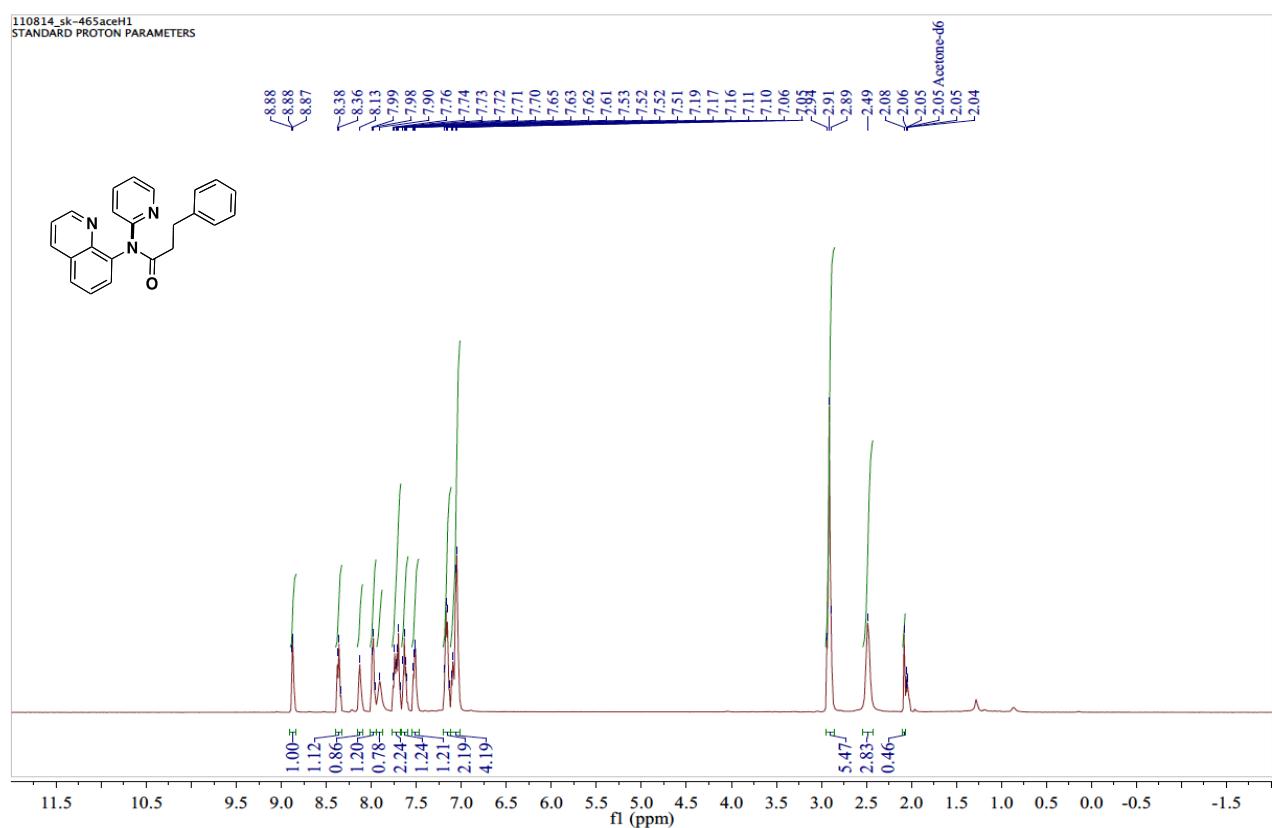
300814\_sk-444aceH1  
STANDARD PROTON PARAMETERS



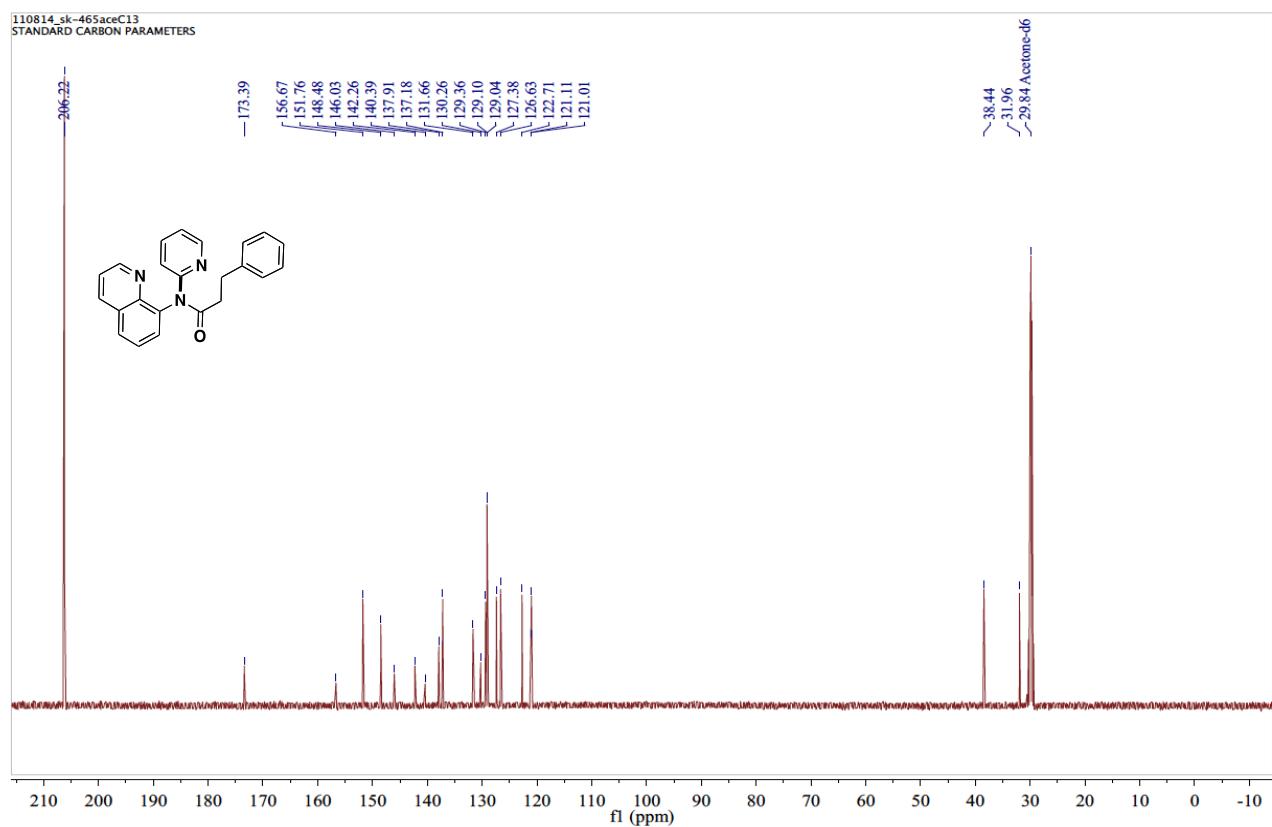
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)-2-phenylbutanamide (**5k**)



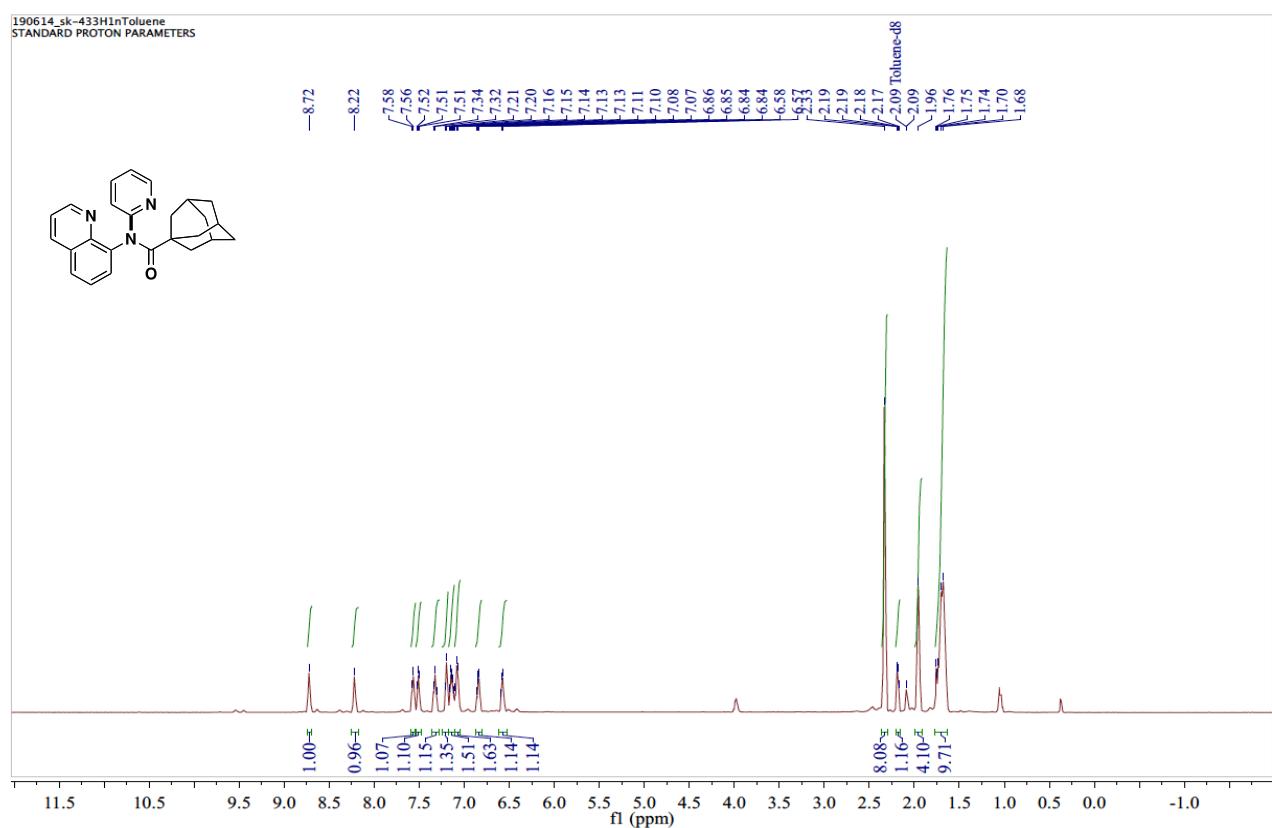
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)hydrocinnamide (5l)



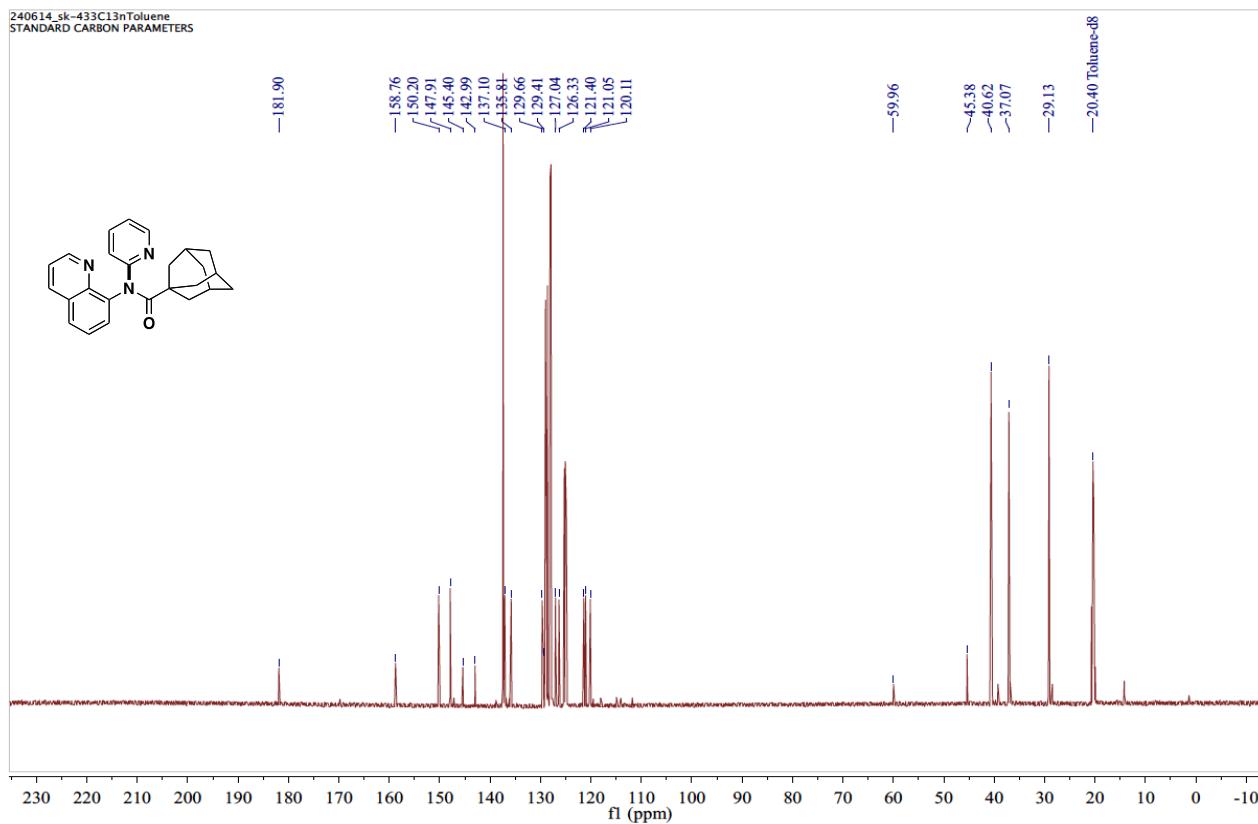
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)hydrocinnamide (5l)



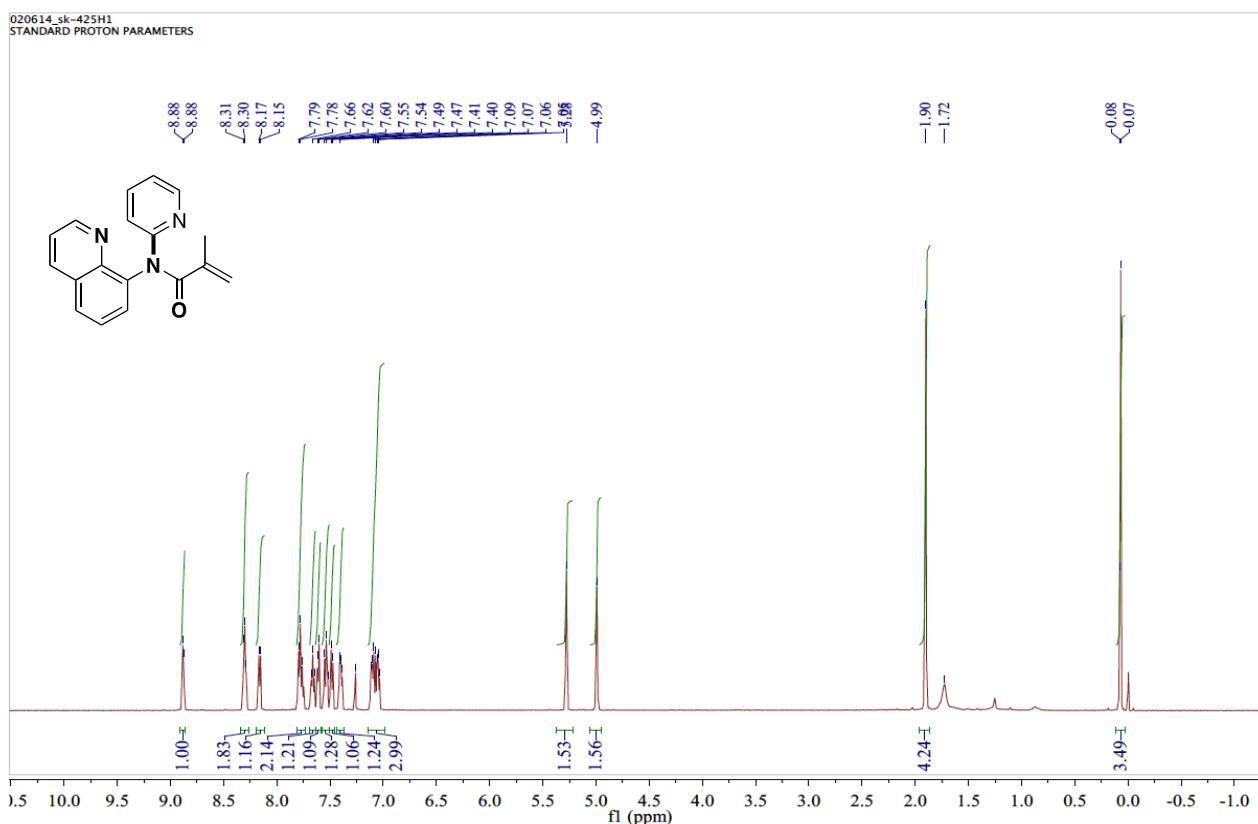
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)adamandamide (7a)



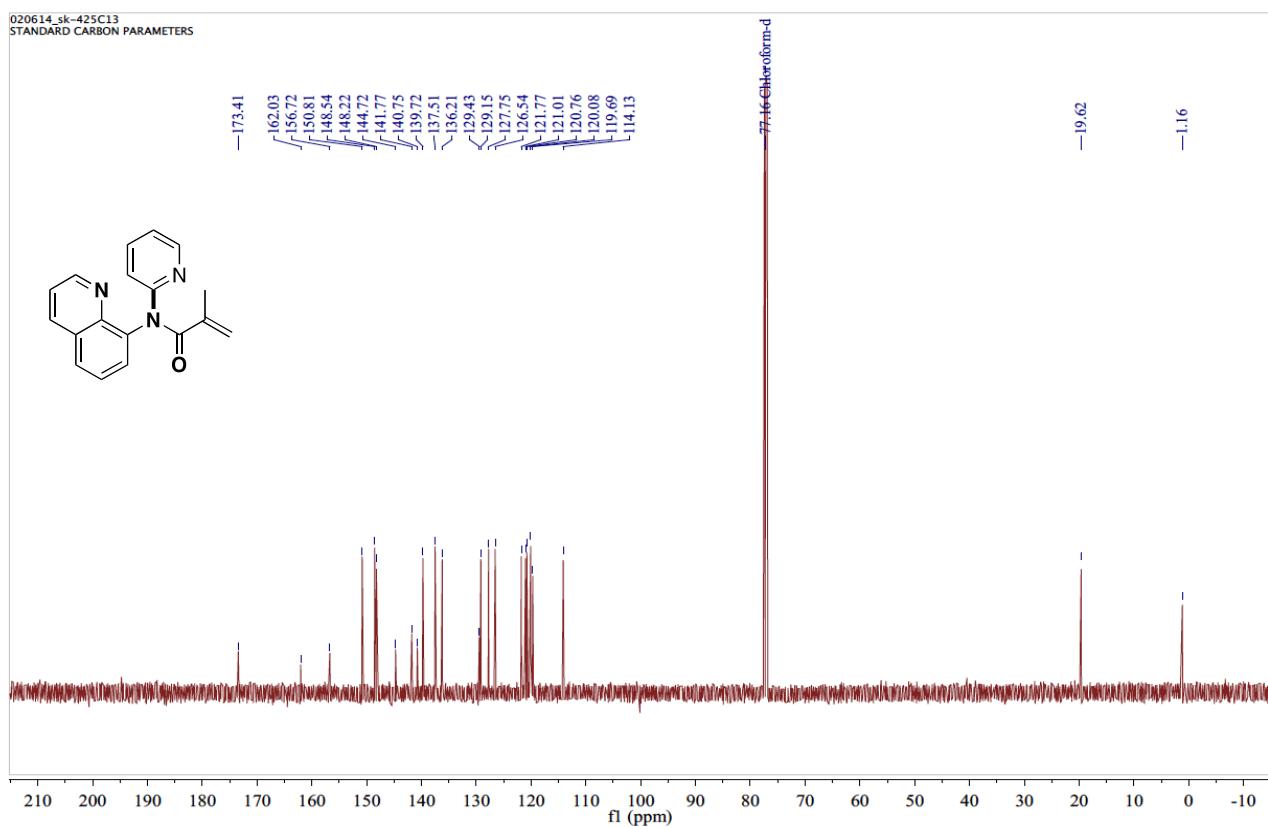
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)adamandamide (7a)



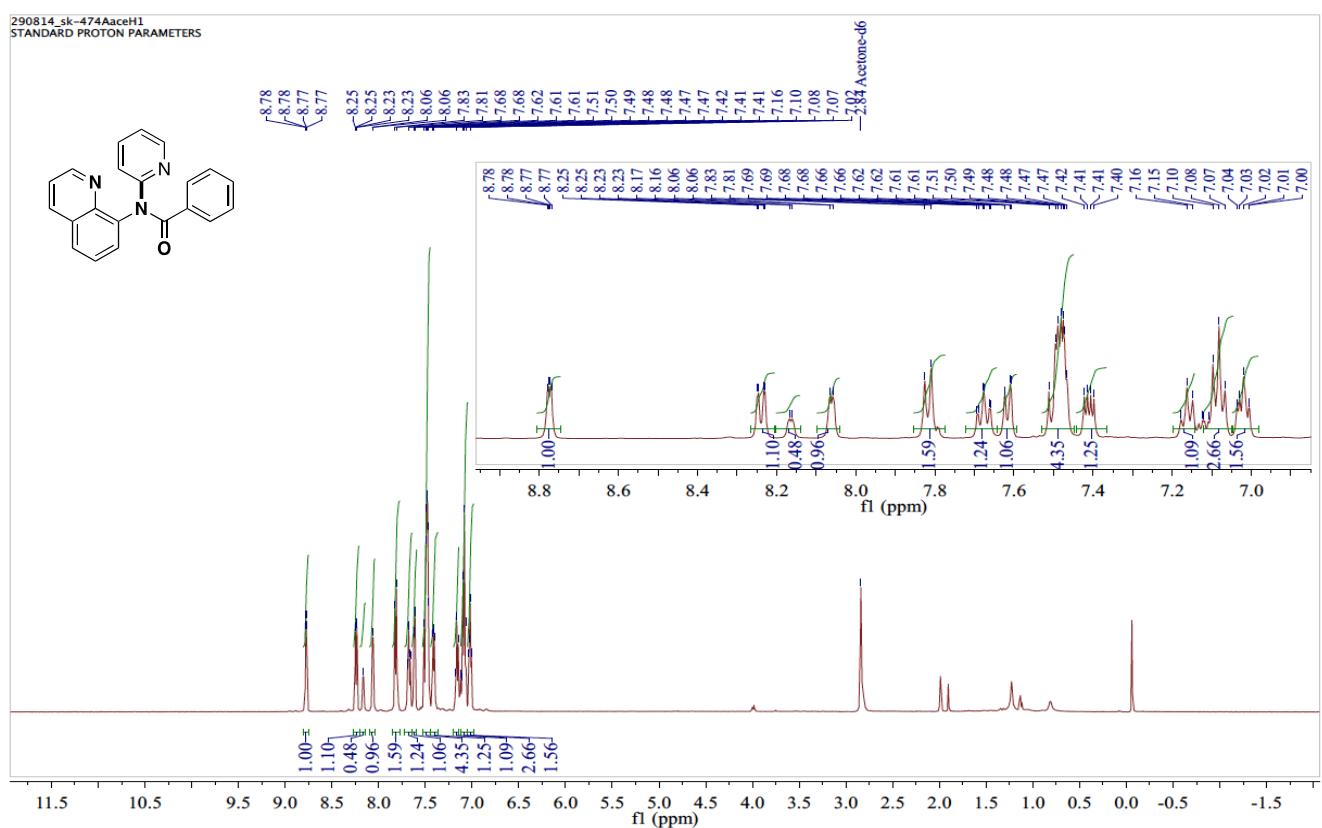
<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)methacrylamide (9a)



<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)methacrylamide (9a)

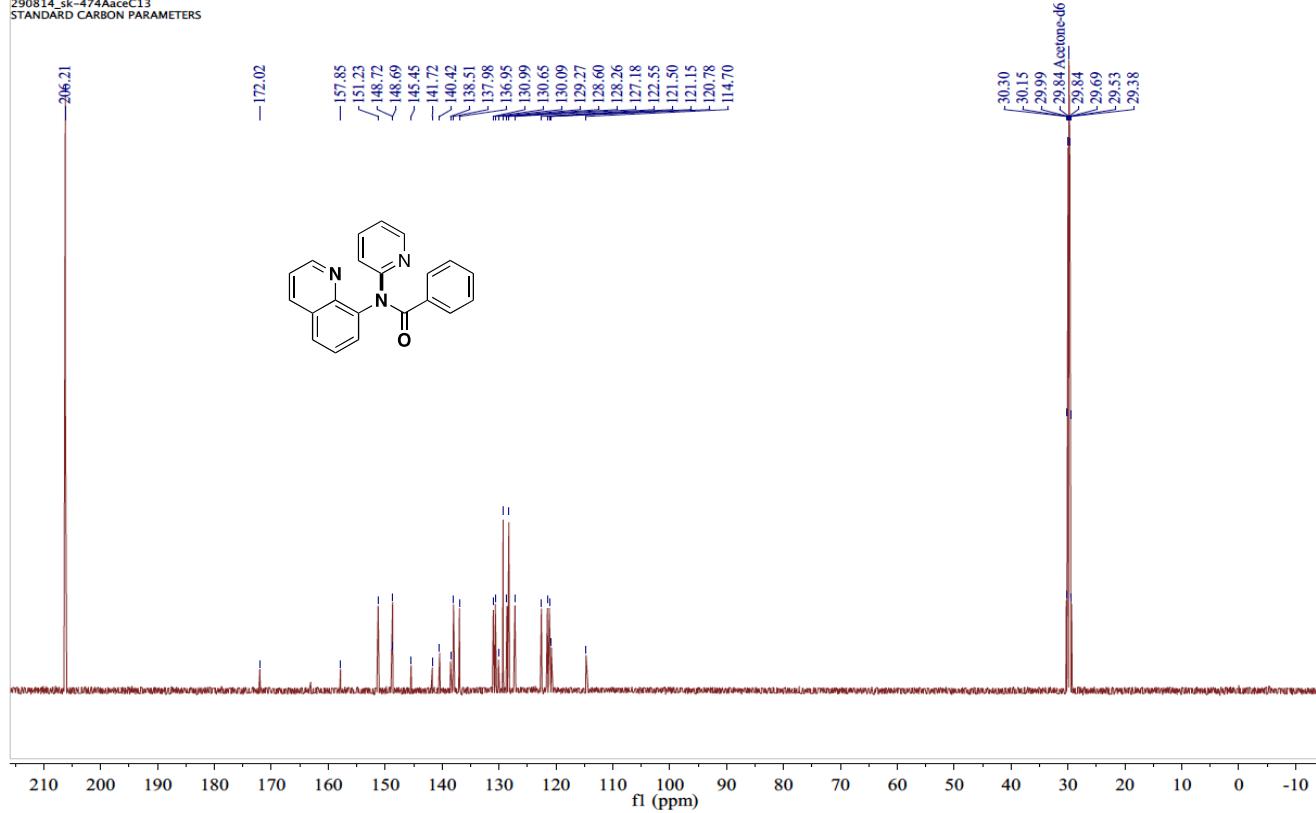


<sup>1</sup>H NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)benzamide (11a)



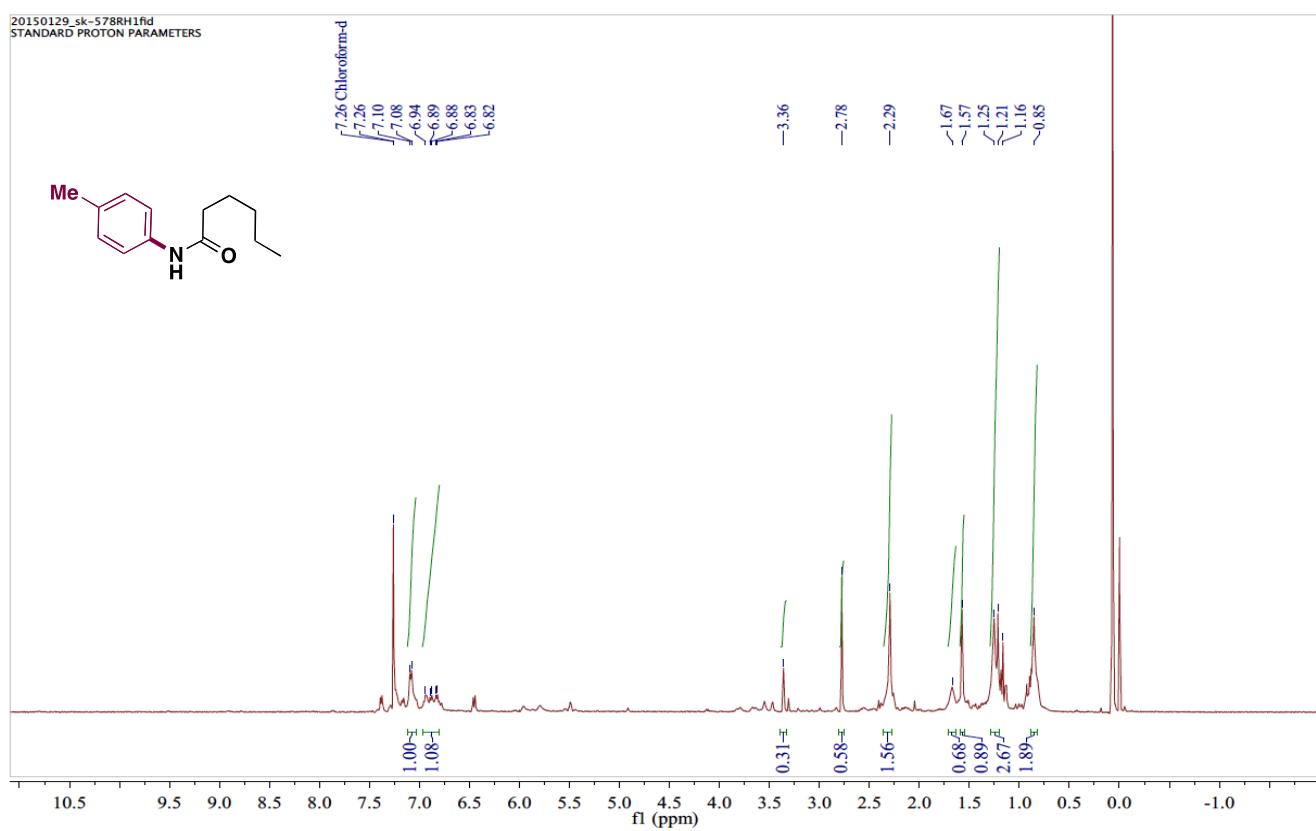
<sup>13</sup>C NMR spectrum of *N*-8-quinolinyl-*N*-(2-pyridine)benzamide (11a)

290814\_sk-474AaceC13  
STANDARD CARBON PARAMETERS



<sup>1</sup>H NMR spectrum of *N*-(4-methylphenyl)-hexanamide (12a)

20150129\_sk-578RH1fid  
STANDARD PROTON PARAMETERS



## VII. Reference

1. CrysAlisPRO, Agilent Technologies UK Ltd, Yarnton, England.
2. G. M. Sheldrick, *Acta Cryst.* 2008, **A64**, 112-122
3. O.V. Dolomanov, L.J. Bourhis, R.J. Gildea, J.A.K. Howard, H. Puschmann, OLEX2: A complete structure solution, refinement and analysis program. *J. Appl. Cryst.*, 2009, **42**, 339-341
4. Y. Aihara and N. Chatani, *J. Am. Chem. Soc.* 2014, **136**, 898-901; R. Parella, B. Gopalakrishnan, and S. A. Babu, *Org. Lett.* 2013, **15**, 3238-3241.
5. Y. Kuninobu, T. Uesugi, A. Kawata, and K. Takai, *Angew. Chem. Int. Ed.* 2011, **50**, 10406-10408; N. Drillaud, E. Banaszak-Leonard, I. Pezron, and C. Len, *J. Org. Chem.* 2012, **77**, 9553-9561.