Supporting Information

Directed Palladium(II)-Catalyzed Intermolecular Anti-Markovnikov Hydroarylation of Unactivated Alkenes with (Hetero)arylsilanes

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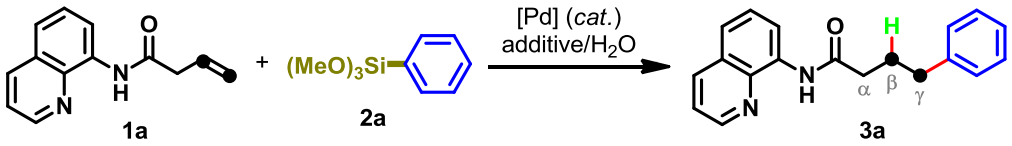
1. General Information

$Pd(OAc)_2$ was purchased from Strem. CuF_2 was purchased from Alfa Aesar. Unless otherwise noted, other reagents were purchased from Adamas-beta, J&K, 9-Ding, and Energy Chemical of the highest purity grade and used without further purification. The reaction was monitored by thin-layer chromatography (TLC), performed on 0.25 mm silica gel HSGF254. The TLC plates were visualized by ultraviolet light (254 nm) or treatment with potassium permanganate stain followed by gentle heating if needed.^{1}H NMR and ^{13}C NMR spectra were recorded at 25 ℃ on a Bruker Advance 400M NMR spectrometers ($CDCl_3$ as solvent). Chemical shifts for ^{1}H NMR spectra are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of $SiMe_4$ (δ 0.00 singlet). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublet of doublets); dt (doublet of triplets); m (multiplets) and etc. Coupling constants are reported as a *J* value in Hz. ^{13}C NMR spectra are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of chloroform-*d* (δ 77.00 triplet). HRMS (ESI) spectra were recorded on Waters-XEVOG2 Q-TOF (Waters Corporation). Flash column chromatography was performed using 300-400 mesh silica gel with the indicated solvent system.

2. Optimization of Reaction Conditions.

Table S1 Optimization of the palladium(II)-catalyzed directed hydroarylation of unactivated aliphatic alkenes **1a** with trimethoxyphenylsilane **2a**.[a,b]

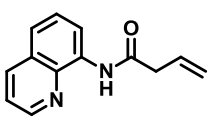


Entry	Catalyst	Additive	Solvent	Yield
1	$Pd(OAc)_2$	LiF	DCE	< 5
2	$Pd(OAc)_2$	NaF	DCE	< 5
3	$Pd(OAc)_2$	KF	DCE	< 5
4	$Pd(OAc)_2$	CsF	DCE	< 5
5	$Pd(OAc)_2$	TBAF[c]	DCE	0
6	$Pd(OAc)_2$	FeF_3	DCE	0
7	$Pd(OAc)_2$	ZnF_2	DCE	0
8	$Pd(OAc)_2$	MnF_2	DCE	0
9	$Pd(OAc)_2$	MgF_2	DCE	< 5
10	$Pd(OAc)_2$	AgF	DCE	13
11	$Pd(OAc)_2$	CuF_2	DCE	56
12	$Pd(OAc)_2$	CuF_2	hexane	53
13	$Pd(OAc)_2$	CuF_2	toluene	65
14	$Pd(OAc)_2$	CuF_2	$PhCF_3$	67
15	$Pd(OAc)_2$	CuF_2	dioxane	73
16	$Pd(OAc)_2$	CuF_2	MeCN	48
17	$Pd(OAc)_2$	CuF_2	DMF	34
18	$Pd(OAc)_2$	CuF_2	DMSO	29
19	$Pd(OAc)_2$	CuF_2	acetone	67
20	$Pd(OAc)_2$	CuF_2	THF	**82**
21[d]	$Pd(OAc)_2$	CuF_2	THF	67
22	$Pd(OTFA)_2$	CuF_2	THF	58
23	$Pd(PPh_3)_2Cl_2$	CuF_2	THF	< 5
24	$Pd(MeCN)_2Cl_2$	CuF_2	THF	39
25	$Pd(dppf)Cl_2$	CuF_2	THF	13
26[e]	$Pd(OAc)_2$	CuF_2	THF	71
27[f]	$Pd(OAc)_2$	CuF_2	THF	73
28	—	CuF_2	THF	< 5
29	$Pd(OAc)_2$	—	THF	0

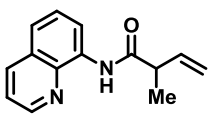
[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.4 mmol, 2.0 equiv), [Pd] catalyst (10 mol%), additive (0.4 mmol, 2.0 equiv), H_2O (2.0 mmol, 10 equiv), solvent (2.0 mL), stirred at 100 °C under air for 24 h. [b]Isolated yields. [c]TBAF (1.0 mol/L in THF). [d]At 80 °C. [e]$Pd(OAc)_2$ (5 mol%). [f]H_2O (1.0 mmol, 5 equiv) was used.

3. Structure of Substrates

Unactivated alkene substrates



1a



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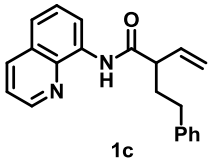

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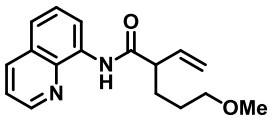

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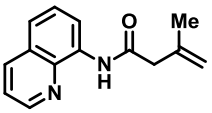

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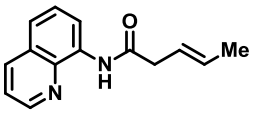

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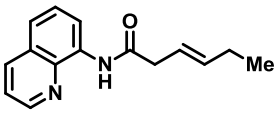

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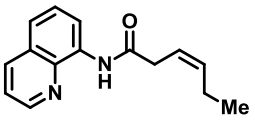

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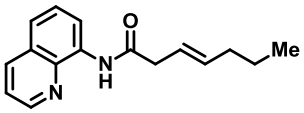

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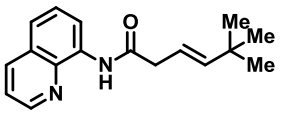

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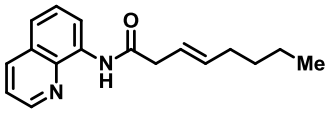

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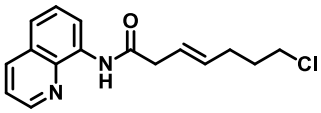

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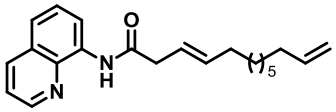

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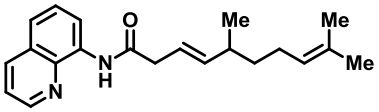

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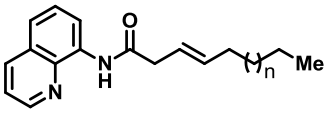

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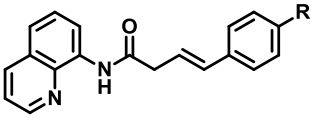
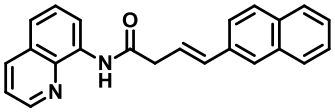
1p: n = 5, **1q:** n = 7,



R = H, **1r**
R = ^{t}Bu, **1s**



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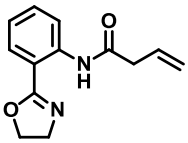
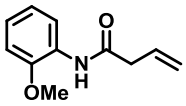


A



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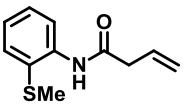

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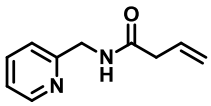

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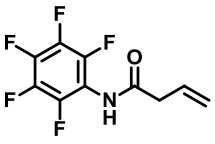
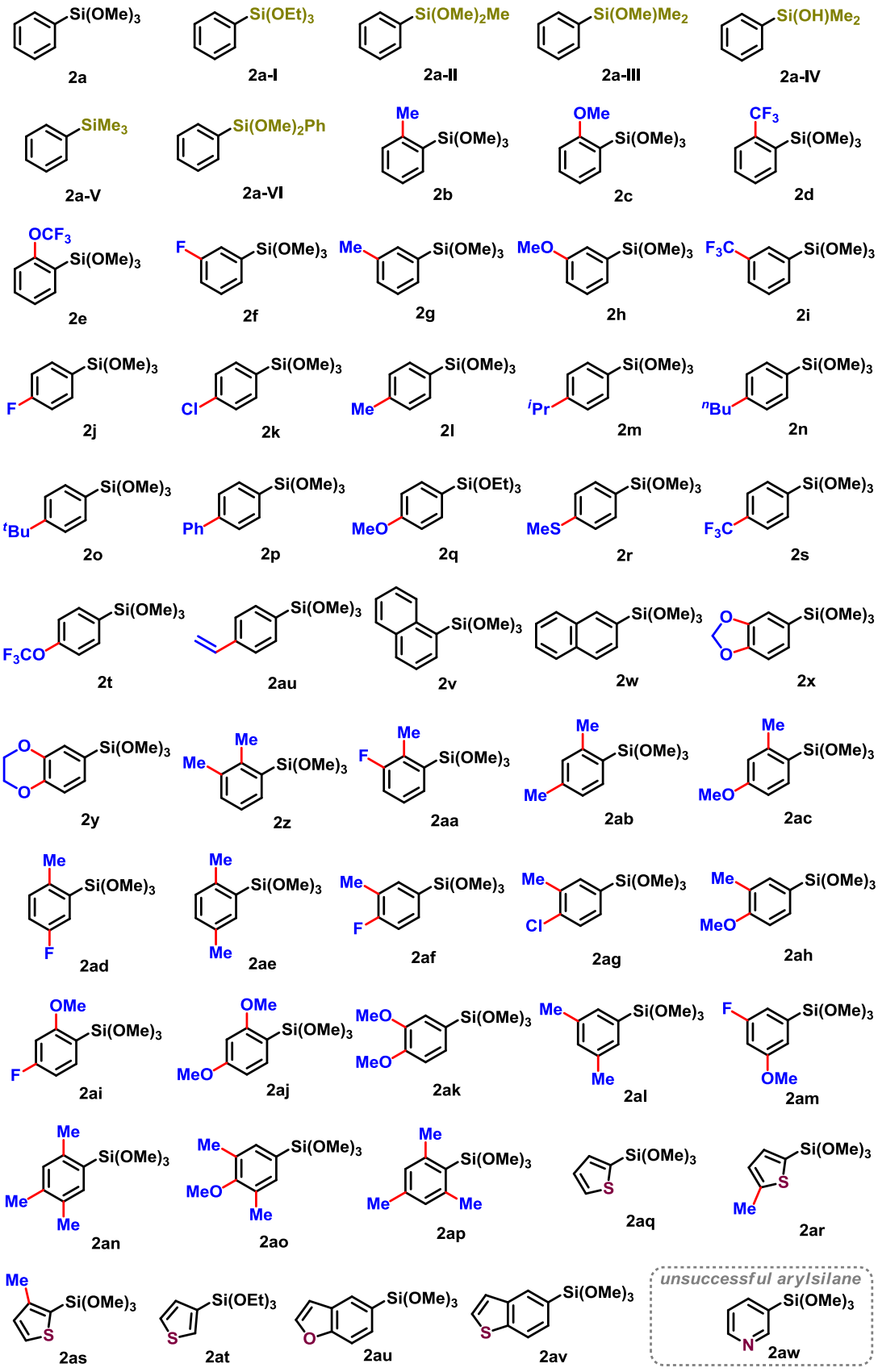


E

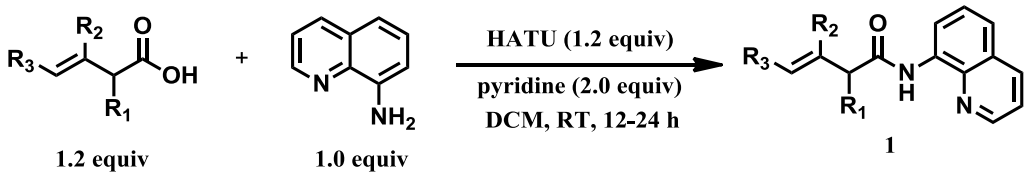
Arylsilane substrates



4. Experimental Section

All unactivated alkene substrates **1a-1t, A-E, 6a-b** were synthesized readily from the corresponding vinyl acetic acid with 8-Aminoquinoline or arylamines according to the reported literature methods.[1] Arylsilanes **2a**, **2a I-VI**, **2l**, **2q**, **2v** were commercially available and used as received, other (hetero)arylsilanes **2b-2k**, **2m-2p** and **2w-2aw** were prepared from the corresponding Grignard reagents with tetramethyl orthosilicate according to the literature.[3] Unless otherwise noted, all reactions were performed under air atmosphere.

4.1 General Procedure for the Synthesis of Unactivated Alkene Substrates.[1]



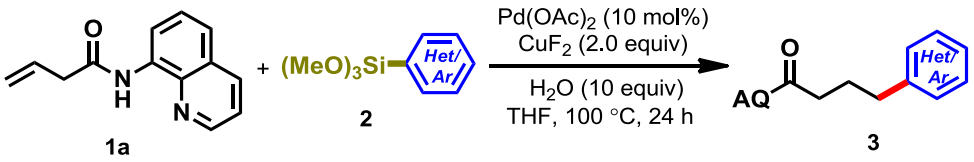
Following the reported literature method, corresponding vinyl acetic acid[2] (12 mmol, 1.2 equiv) was charged into a 250 mL RB flask containing 50 mL DCM. 8-Aminoquinoline (10 mmol, 1 equiv), pyridine (20 mmol, 2 equiv) and HATU (12 mmol, 1.2 equiv) were added sequentially and the reaction mixture was stirred at room temperature for 12-24 h. The deep brown solution was then diluted with EtOAc (50 mL), washed with sat. $NaHCO_3$ (3 × 50 mL), brine (1 × 50 mL). The organic phase was dried over anhydrous Na_2SO_4, concentrated in *vacuo* (T < 35 ℃). The residue was further purified by flash column chromatography on silica gel (PE/EtOAc = 9:1) to afford the corresponding 3-alkenamides products.

4.2 General Procedure for the Preparation of Diverse (Hetero)arylsilanes.[3]

Unless otherwise noted, all reactions were performed on a 30 mmol scale. A 100 mL, three-neck, pear-shaped flask was fitted with an addition funnel, a reflux condenser, a rubber septum, and a stir bar. The flask was then charged with freshly washed magnesium turnings (0.79 g, 33 mmol), flame-dried under vacuum, and back-filled with argon. THF (30 mL) was added to the magnesium turnings via syringe. The addition funnel was charged with the aryl halide (30 mmol) in 10 mL THF. The

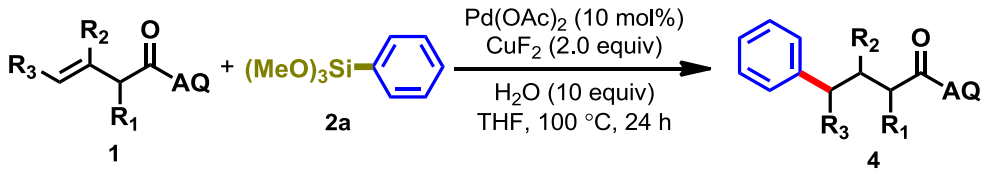
reaction was initiated by addition of 5-10 drops of the aryl halide solution to the magnesium turnings with stirring, followed by gentle heating. The rest of the aryl halide solution was then added at such a rate that the THF maintained a moderate reflux. Upon final addition, the solution was allowed to stir at room temperature until the complete consumption of aryl halide. The arylmagnesiumhalide solution was then transferred *via* cannula to a second flame-dried addition funnel, to which was fitted a 50 mL round-bottom flask containing tetraethyl orthosilicate or tetramethyl orthosilicate (90 mmol) in 20 mL of THF. The silane solution was cooled to -30 ℃, and then the arylmagnesiumhalide solution was added dropwise (1 drop per second). The solution was allowed to stir at the indicated temperature for about 1 h and then at room temperature for 12 h. The crude mixture was poured into 50 mL of pentane in a 500 mL separatory funnel. The amber solution was then washed with water (50 mL), dried over anhydrous Na_2SO_4, and concentrated in *vacuo*. Further purification of the residue by short-path distillation gives the corresponding (hetero)arylsilanes.

4.3 General Procedure for the Palladium(II)-Catalyzed Hydroarylation of Unactivated Alkenes 1a with (Hetero)arylsilanes 2.



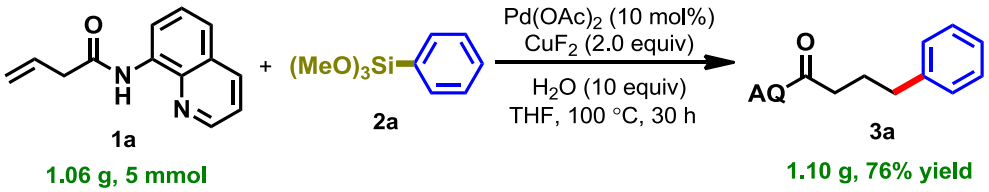
$Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), alkene substrate **1a** (42.4 mg, 0.2 mmol), (hetero)arylsilane **2** (0.4 mmol, 2.0 equiv), CuF_2 (40.6 mg, 0.4 mmol) were sequentially weighed in air and paced into an oven-dried 35 mL sealed tube with a magnetic stir bar. H_2O (36 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe, and then the reaction mixture was heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL) and filtered through a pad of celite. The filtrate was then concentrated in *vacuo* and the residue was purified by preparative TLC on silica gel with indicated eluent to afford the desired hydroarylation products **3**.

4.4 General Procedure for the Palladium(II)-Catalyzed Hydroarylation of Unactivated Alkenes 1 with Trimethoxyphenylsilane 2a.



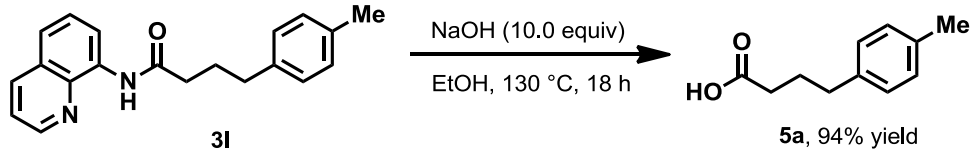
To an oven-dried sealed tube (35 mL) with a magnetic stir bar were sequentially added $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), alkene substrate **1** (0.2 mmol), trimethoxyphenylsilane **2a** (0.4 mmol, 2.0 equiv), CuF_2 (40.6 mg, 0.4 mmol). H_2O (36 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe. Then, the reaction mixture was heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL) and filtered through a pad of celite. The filtrate was concentrated in *vacuo* and the residue was purified by preparative TLC on silica gel with indicated eluent to give the hydroarylation products **4**.

4.5 General Procedure for Large-Scale Synthesis.



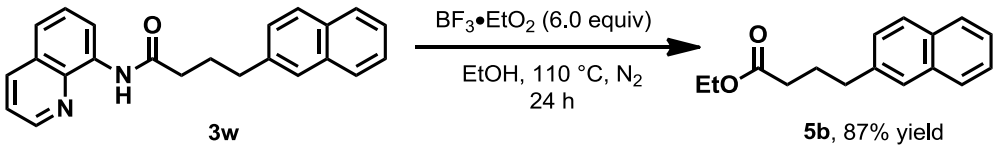
$Pd(OAc)_2$ (112.3 mg, 0.5 mmol, 10 mol%), alkene substrate **1a** (1.06 g, 5.0 mmol), trimethoxyphenylsilane **2a** (1.98 g, 10 mmol, 2.0 equiv), CuF_2 (1.01 g, 10 mmol, 2.0 equiv) were sequentially weighed in air and paced into an oven-dried 150 mL sealed tube with a magnetic stir bar. H_2O (0.9 mL, 50 mmol, 10 equiv) and THF (50 mL) were added *via* syringe, and then the reaction mixture was heated to 100 ^oC and stirred for 30 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (120 mL) and filtered through a pad of celite. The filtrate was concentrated in *vacuo* and the residue was purified by flash column chromatography on silica gel (eluent: PE/EtOAc = 10/1) to afford the desired hydroarylation product **3a** (1.10 g, 76% yield) as an off-white solid.

4.6 General Procedure for the Removal of Directing Group



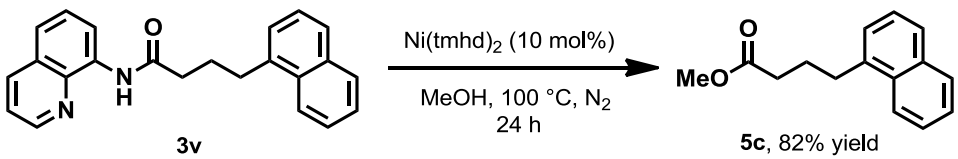
3l **5a**, 94% yield

To an oven-dried sealed tube (35 mL) with a magnetic stir bar were subsequently added *N*-(quinolin-8-yl)-4-(p-tolyl)butanamide **3l** (60.9 mg, 0.2 mmol) and NaOH (80.0 mg, 2.0 mmol). EtOH (2.0 mL) were then added *via* syringe and the reaction mixture was stirred at 130 ^{o}C for 18 h in an oil bath. After cooling to room temperature, the reaction mixture was diluted with EtOAc (20 mL) and washed with HCl (1.0 M, 50 × 3mL). The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. The residue was purified by preparative TLC on silica gel using PE/EtOAc (5:1) to give the desired product **5a** (33.4 mg, 94% yield) as an off-white solid.



3w **5b**, 87% yield

To an oven-dried sealed tube (35 mL) with a magnetic stir bar were subsequently added 4-(naphthalen-2-yl)-*N*-(quinolin-8-yl)butanamide **3w** (68.0 mg, 0.2 mmol), The tube was then evacuated and refilled with N_2 three times. BF_3·EtO_2 (150 μL, 1.2 mmol) and EtOH (2.0 mL) were added *via* syringe. The reaction mixture was stirred at 110 ^{o}C for 24 h in an oil bath. After cooling to ambient temperature, the mixture was diluted with DCM (15 mL) and then quenched by Et_3N (0.28 mL, 10 equiv). The combined organic layer was dried over anhydrous Na_2SO_4, concentrated in *vacuo*. The residue was purified by preparative TLC on silica gel using PE/EtOAc (19:1) to give the desired product **5b** (42.2 mg, 87% yield) as a pale yellow oil.



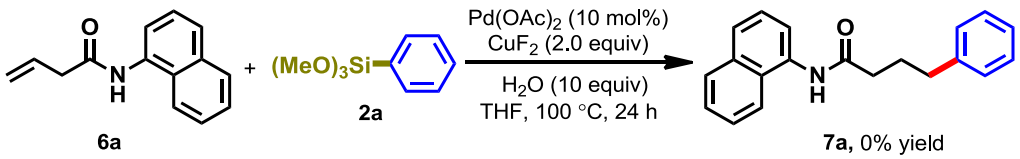
3v **5c**, 82% yield

To an oven-dried sealed tube (35 mL) with a magnetic stir bar were subsequently

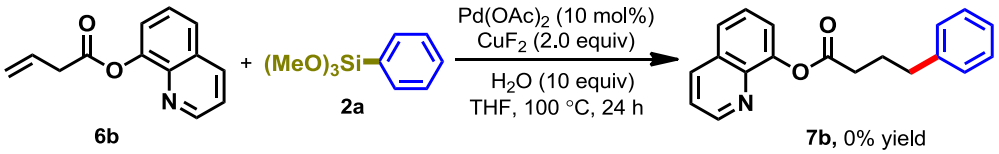
added 4-(naphthalen-1-yl)-*N*-(quinolin-8-yl)butanamide **3v** (98.2 mg, 0.288 mmol) and $Ni(tmhd)_2$ (12.2 mg, 10 mol%). The tube was evacuated and refilled with N_2 three times. MeOH (2.0 mL) were then added *via* syringe and the reaction mixture was stirred at 100 ^oC for 24 h in an oil bath. After cooling to ambient temperature, the reaction was diluted with DCM (15 mL). The combined organic layer was dried over anhydrous Na_2SO_4, concentrated in *vacuo*. The residue was purified by preparative TLC on silica gel using PE/EtOAc (19:1) to give the desired product **5c** (54.1 mg, 82% yield) as a pale yellow oil.

5. Preliminary Mechanistic Studies

5.1 Control Experiments

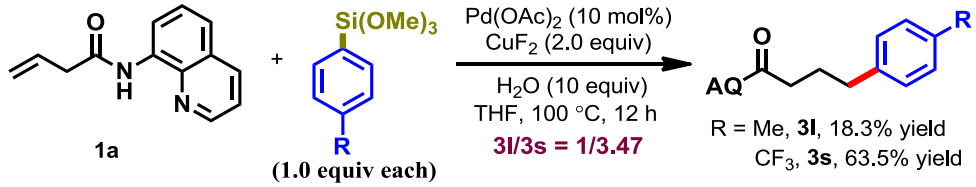


Following the general procedure, the reaction was carried out with $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), unactivated alkene substrate **6a** (42.2 mg, 0.2 mmol), trimethoxyphenylsilane **2a** (0.4 mmol, 2.0 equiv), CuF_2 (40.6 mg, 0.4 mmol) were sequentially weighed in air and paced into an oven-dried 35 mL sealed tube with a magnetic stir bar. H_2O (36 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe, then the reaction mixture was heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL), filtered through a pad of celite, concentrated in *vacuo*. The reaction was monitored by TLC and detected by ^1H NMR, while no hydroarylation product **7a** has been found in the reaction mixture.

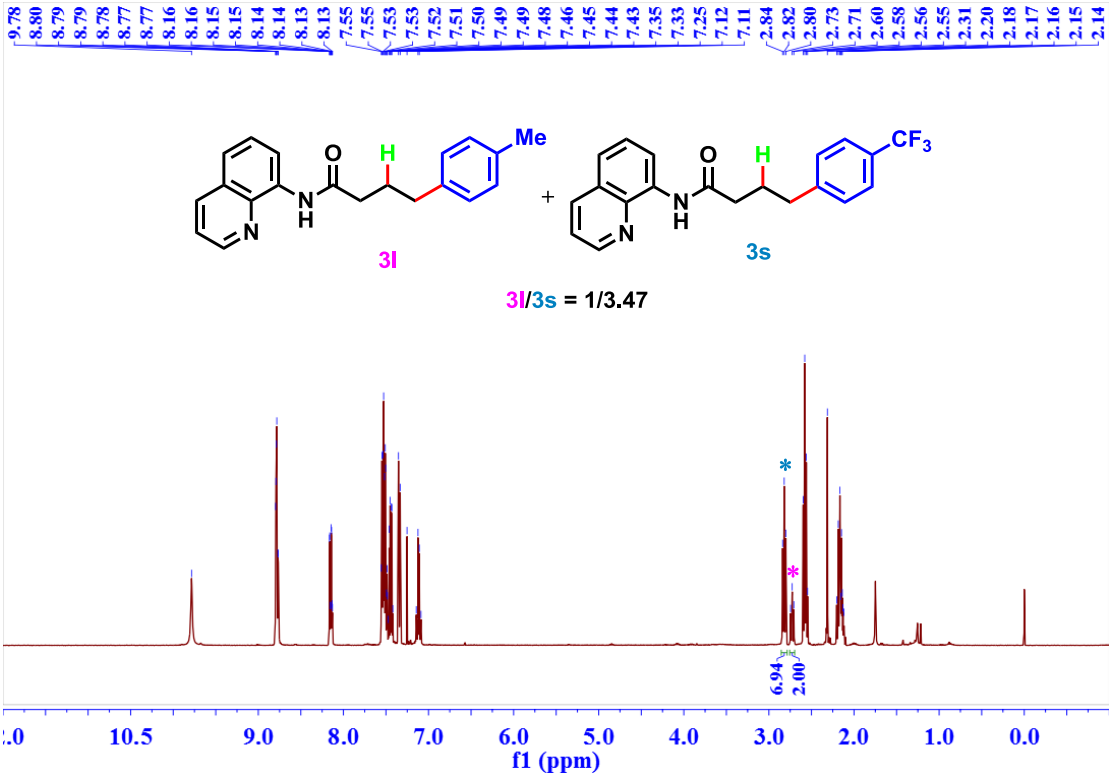


Following the general procedure, the reaction was carried out with $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), unactivated alkene substrate **6b** (42.6 mg, 0.2 mmol), trimethoxyphenylsilane **2a** (0.4 mmol, 2.0 equiv), CuF_2 (40.6 mg, 0.4 mmol) were sequentially weighed in air and paced into an oven-dried 35 mL sealed tube with a magnetic stir bar. H_2O (36 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe, then the reaction mixture was heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL), filtered through a pad of celite, concentrated in *vacuo*. The reaction was monitored by TLC and detected by ^1H NMR, while no hydroarylation product **7b** has been found in the reaction mixture.

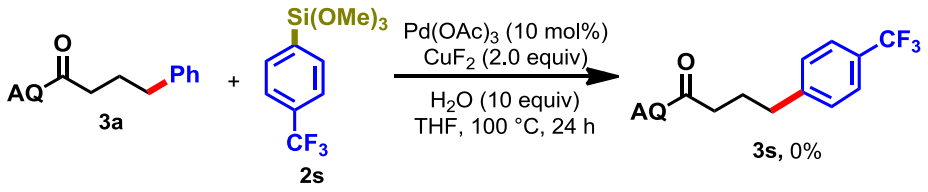
5.2 Intermolecular Competition Experiments



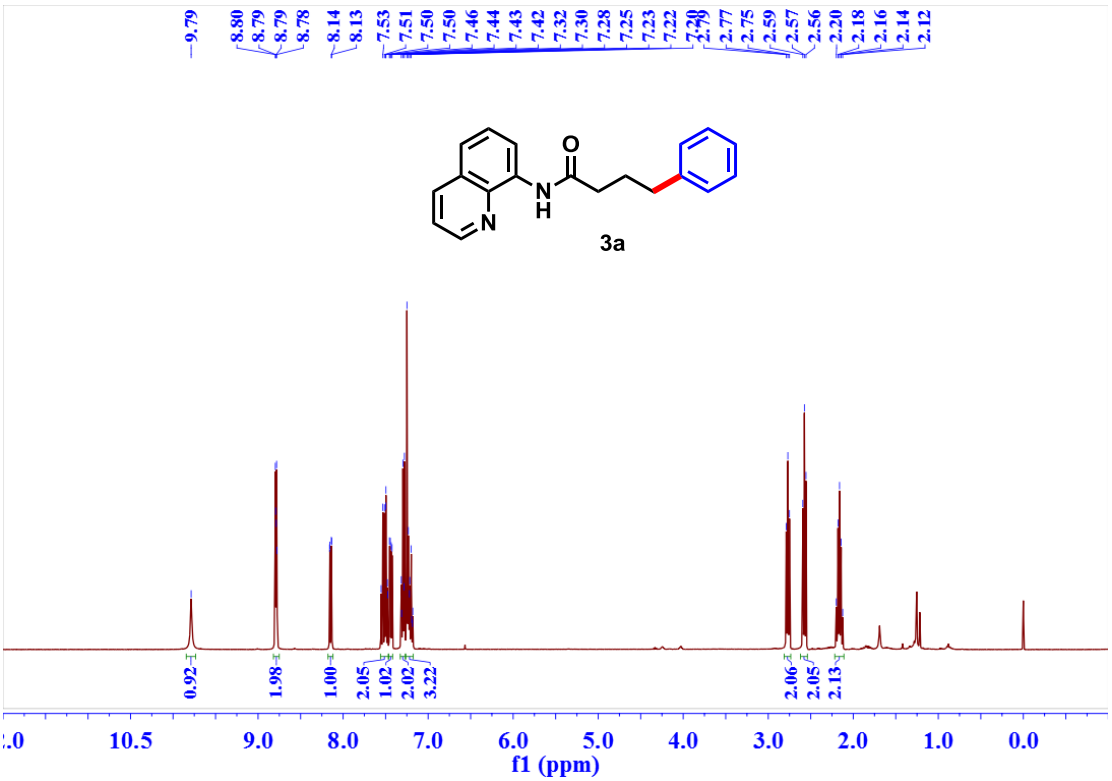
Following the general procedure, $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), alkene substrate **1a** (42.4 mg, 0.2 mmol), trimethoxy(*p*-tolyl)silane **2l** (0.2 mmol, 1.0 equiv), trimethoxy(4-(trifluoromethyl)phenyl)silane **2s** (0.2 mmol, 1.0 equiv), CuF_2 (40.6 mg, 0.4 mmol) were sequentially weighed in air and paced into an oven-dried 35 mL sealed tube with a magnetic stir bar. H_2O (36 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe, and then the reaction mixture was heated to 100 ^oC and stirred for 12 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL) and filtered through a pad of celite. The filtrate was then concentrated in *vacuo*. The residue was further purified by preparative TLC on silica gel using DCM/EtOAc (100:1) to give the desired hydroarylation **3l** and **3s** mixture as an off-white solid (56.7 mg), and the ratio of product **3l** and **3s** was then determined using ^1H NMR analysis (**3l**, 11.2 mg, 18.3% yield; **3s**, 45.5 mg, 63.5% yield).

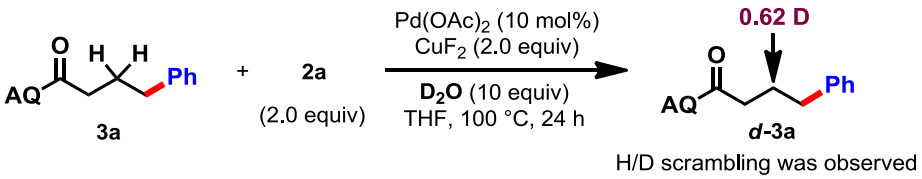


5.3 Scrambling Experiments

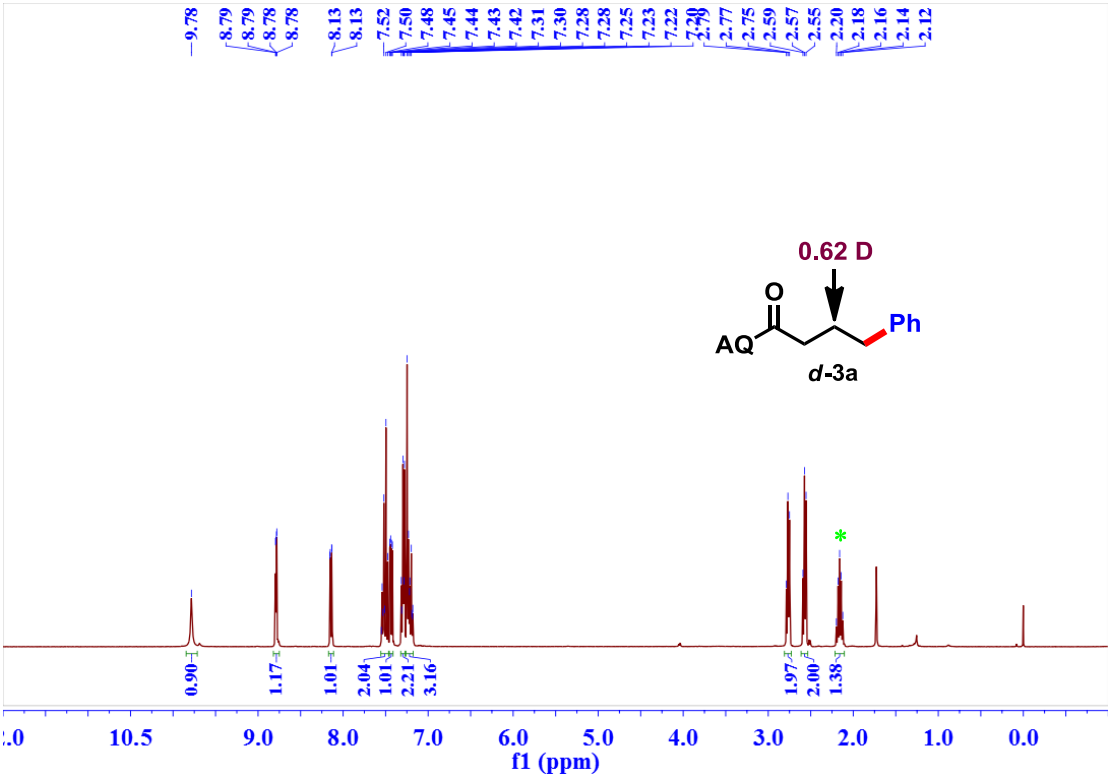


A mixture of 4-phenyl-*N*-(quinolin-8-yl)butanamide **3a** (58.0 mg, 0.2 mmol), trimethoxy(4-(trifluoromethyl)phenyl)silane **2s** (0.4 mmol, 2.0 equiv), $Pd(OAc)_2$ (4.5 mg, 10 mol%), CuF_2 (40.6 mg, 0.4 mmol) were sequentially weighed in air and paced into an oven-dried 35 mL sealed tube with a magnetic stir bar. H_2O (36 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe, the reaction mixture was then heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL), filtered through a pad of celite, concentrated in *vacuo*. The starting material **3a** was recovered after 24 h, and no aryl exchange product **3s** was detected by ^1H NMR analysis, demonstrating the irreversibility of transmetalation and/or migratory insertion steps in the catalytic cycle.

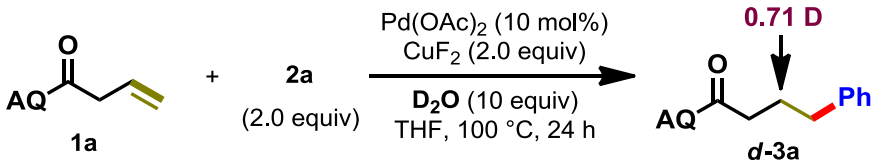




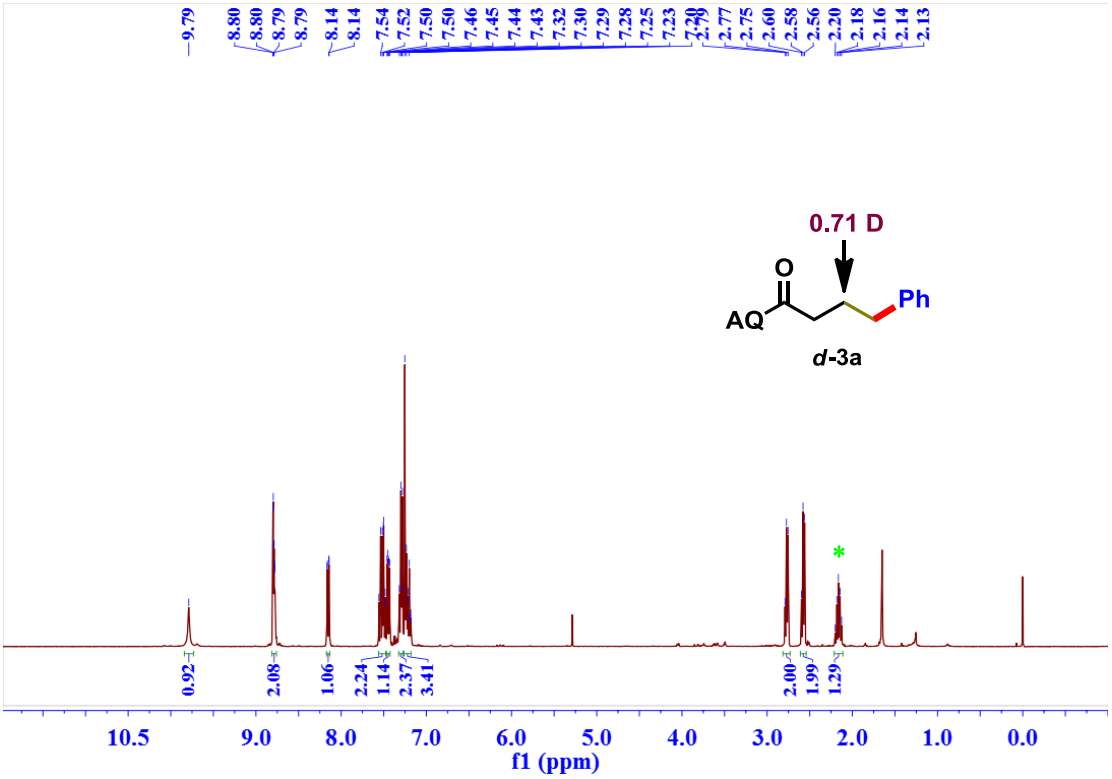
A mixture of 4-phenyl-*N*-(quinolin-8-yl)butanamide **3a** (58.0 mg, 0.2 mmol), $Pd(OAc)_2$ (4.5 mg, 10 mol%), trimethoxyphenylsilane **2a** (0.4 mmol, 2.0 equiv), CuF_2 (40.6 mg, 0.4 mmol) were sequentially weighed in air and paced into an oven-dried 35 mL sealed tube with a magnetic stir bar. D_2O (40 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe, the reaction mixture was then heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the reaction mixture was diluted with DCM (10 mL), filtered through a pad of celite, concentrated in *vacuo*. The residue was purified by preparative TLC on silica gel using DCM/EtOAc (100:1) as eluent. The deuterium incorporation result (62%) was detected by ^1H NMR analysis.



5.4 Deuteration Experiments

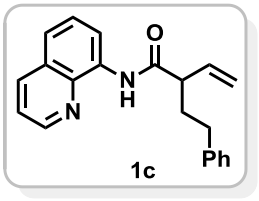


To an oven-dried sealed tube (35 mL) with a magnetic stir bar were sequentially added $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), alkene substrate **1a** (42.4 mg, 0.2 mmol), trimethoxyphenylsilane **2a** (0.4 mmol, 2.0 equiv), CuF_2 (40.6 mg, 0.4 mmol). D_2O (40 μL, 2.0 mmol) and THF (2.0 mL) were added *via* syringe. Then, the reaction mixture was heated to 100 ^oC and stirred for 24 h in an oil bath. After cooling to ambient temperature, the mixture was diluted with DCM (10 mL) and filtered through a pad of celite. The filtrate was concentrated in *vacuo* and the residue was purified by preparative TLC on silica gel using DCM/EtOAc (100:1) as eluent to give the deuterium incorporation product **3a/*d*-3a** as an off-white solid (43.6 mg, 75% yield).



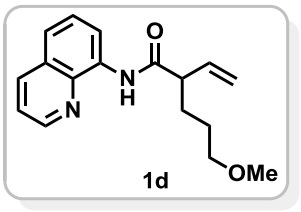
6. Experimental data

2-phenethyl-*N*-(quinolin-8-yl)but-3-enamide (1c)



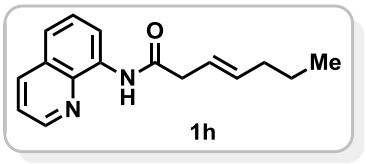
Following the general procedure, the desired product **1c** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (2.56 g, 81% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.98 (s, 1H), 8.82-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.31-7.17 (m, 5H), 6.11-6.00 (m, 1H), 5.36 (t, *J* = 13.6 Hz, 2H), 3.20 (dd, *J* = 15.4, 7.6 Hz, 1H), 2.80-2.67 (m, 2H), 2.43-2.32 (m, 1H), 2.07-1.94 (m, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.2, 141.5, 138.6, 136.8, 136.3, 134.5, 128.5, 127.9, 127.4, 125.9, 121.54, 121.50, 118.4, 116.4, 52.6, 33.3, 33.2; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1656.

5-methoxy-*N*-(quinolin-8-yl)-2-vinylpentanamide (1d)



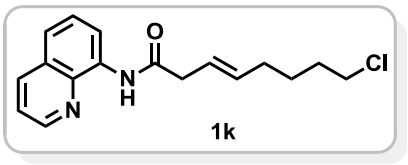
Following the general procedure, the desired product **1d** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 5/1) as an off-white solid (1.87 g, 66% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.00 (s, 1H), 8.83-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.55-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.08-5.97 (m, 1H), 5.41-5.26 (m, 2H), 3.48-3.37 (m, 2H), 3.33 (s, 3H), 3.22 (dd, *J* = 15.4, 7.4 Hz, 1H), 2.14-2.01 (m, 1H), 1.83-1.62 (m, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.9, 148.2, 138.6, 136.9, 136.3, 134.5, 127.9, 127.3, 121.5, 121.4, 118.1, 116.4, 72.5, 58.5, 53.2, 28.7, 27.3; **HRMS m/z [M+H]$^+$** calculated for $C_{17}H_{21}N_2O_2^+$: 285.1603, found: 285.1605.

(*E*)-*N*-(quinolin-8-yl)hept-3-enamide (1h)



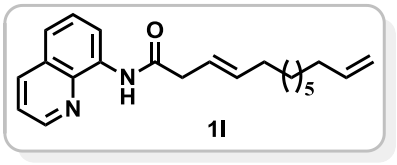
Following the general procedure, the desired product **1h** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (1.96 g, 77% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.07 (s, 1H), 8.82-8.73 (m, 2H), 8.14 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.55-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.87-5.79 (m, 1H), 5.79-5.70 (m, 1H), 3.27 (d, *J* = 6.7 Hz, 2H), 2.15 (q, *J* = 6.9 Hz, 2H), 1.60-1.47 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.0, 148.1, 138.5, 137.0, 136.2, 134.5, 127.9, 127.3, 122.4, 121.5, 121.4, 116.3, 42.2, 34.8, 22.4, 13.7; **HRMS m/z $[M+H]^+$** calculated for $C_{16}H_{19}N_2O^+$: 255.1497, found: 255.1502.

(*E*)-8-chloro-*N*-(quinolin-8-yl)oct-3-enamide (1k)



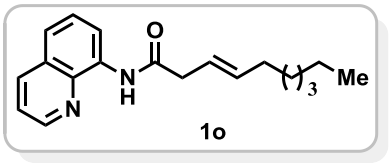
Following the general procedure, the desired product **1k** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as pale yellow oil (2.20 g, 73% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.02 (s, 1H), 8.82-8.73 (m, 2H), 8.14 (d, *J* = 8.3 Hz, 1H), 7.55-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.87-5.74 (m, 2H), 3.64 (t, *J* = 6.7 Hz, 2H), 3.29 (d, *J* = 5.6 Hz, 2H), 2.37-2.29 (m, 2H), 1.99 (p, *J* = 6.8 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.6, 148.2, 138.5, 136.3, 134.9, 134.3, 127.9, 127.3, 123.9, 121.53, 121.51, 116.3, 44.3, 42.0, 32.0, 29.7; **HRMS m/z $[M+H]^+$** calculated for $C_{17}H_{20}ClN_2O^+$: 303.1264, found: 303.1266.

(*E*)-*N*-(quinolin-8-yl)trideca-3,12-dienamide (1l)



Following the general procedure, the desired product **1l** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as a brown oil (2.39 g, 71% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.06 (s, 1H), 8.82-8.73 (m, 2H), 8.14 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.55-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.88-5.69 (m, 3H), 5.03-4.89 (m, 2H), 3.27 (d, *J* = 6.8 Hz, 2H), 2.16 (dd, *J* = 14.2, 6.9 Hz, 2H), 2.02 (q, *J* = 6.9 Hz, 2H), 1.56-1.44 (m, 2H), 1.41-1.25 (m, 8H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.0, 148.1, 139.1, 138.5, 137.1, 136.2, 134.5, 127.9, 127.3, 122.2, 121.5, 121.4, 116.3, 114.1, 42.1, 33.7, 32.7, 29.4, 29.2, 29.1, 29.0, 28.9; **HRMS m/z $[M+H]^+$** calculated for $C_{22}H_{29}N_2O^+$: 337.2280, found: 337.2282.

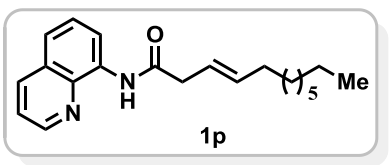
(*E*)-*N*-(quinolin-8-yl)dec-3-enamide (1o)



1o

Following the general procedure, the desired product **1o** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (2.43 g, 82% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.06 (s, 1H), 8.77 (d, *J* = 5.7 Hz, 2H), 8.15 (d, *J* = 8.3 Hz, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.88-5.79 (m, 1H), 5.79-5.69 (m, 1H), 3.27 (d, *J* = 6.9 Hz, 2H), 2.16 (dd, *J* = 14.3, 7.0 Hz, 2H), 1.54-1.45 (m, 2H), 1.40-1.27 (m, 6H), 0.88 (t, *J* = 6.7 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.1, 148.1, 138.6, 137.2, 136.2, 134.5, 127.9, 127.4, 122.2, 121.5, 121.4, 116.3, 42.2, 32.7, 31.8, 29.3, 28.9, 22.6, 14.1; **HRMS m/z $[M+H]^+$** calculated for $C_{19}H_{25}N_2O^+$: 297.1967, found: 297.1970.

(*E*)-*N*-(quinolin-8-yl)dodec-3-enamide (1p)

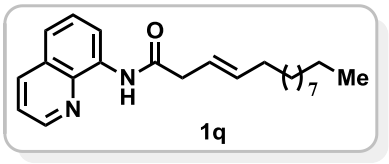


1p

Following the general procedure, the desired product **1p** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (2.14 g,

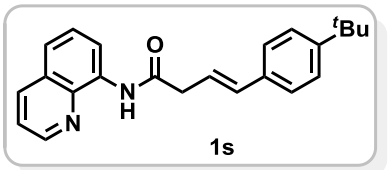
66% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.06 (s, 1H), 8.82-8.74 (m, 2H), 8.14 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.56-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.88-5.79 (m, 1H), 5.78-5.69 (m, 1H),, 3.27 (d, *J* = 7.0 Hz, 2H), 2.16 (dd, *J* = 14.3, 6.9 Hz, 2H), 1.55-1.45 (m, 2H), 1.40-.22 (m, 10H), 0.87 (t, *J* = 6.8 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.1, 148.1, 138.6, 137.2, 136.2, 134.5, 127.9, 127.4, 122.1, 121.5, 121.4, 116.3, 42.2, 32.7, 31.9, 29.5, 29.3, 29.2, 22.6, 14.1; **HRMS m/z $[M+H]^+$** calculated for $C_{21}H_{29}N_2O^+$: 325.2280, found: 325.2280.

(*E*)-*N*-(quinolin-8-yl)tetradec-3-enamide (1q)



Following the general procedure, the desired product **1q** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (2.75 g, 78% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.06 (s, 1H), 8.79-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.88-5.79 (m, 1H), 5.78-5.70 (m, 1H), 3.27 (d, *J* = 6.9 Hz, 2H), 2.16 (dd, *J* = 14.3, 7.0 Hz, 2H), 1.54-1.45 (m, 2H), 1.40-1.21 (m, 14H), 0.87 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.1, 148.1, 138.6, 137.3, 136.2, 134.5, 127.9, 127.4, 122.2, 121.5, 121.4, 116.3, 42.2, 32.7, 31.9, 29.61, 29.56, 29.32, 29.30, 29.27, 22.7, 14.1; **HRMS m/z $[M+H]^+$** calculated for $C_{23}H_{33}N_2O^+$: 353.2593, found: 353.2594.

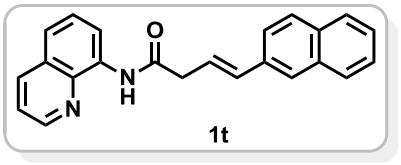
(*E*)-4-(4-(*tert*-butyl)phenyl)-*N*-(quinolin-8-yl)but-3-enamide (1s)



Following the general procedure, the desired product **1s** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as a brown solid (1.62 g, 47% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.06 (s, 1H), 8.75 (dd, *J* = 14.4, 5.7 Hz, 2H), 8.14 (d, *J* = 8.2 Hz, 1H), 7.57-7.47 (m, 2H), 7.46-7.33 (m, 5H), 6.70 (d, *J* = 15.8 Hz,

1H), 6.51-6.40 (m, 1H), 3.49 (d, *J* = 7.2 Hz, 2H), 1.33 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.4, 150.8, 148.2, 138.5, 136.3, 134.7, 134.4, 134.2, 127.9, 127.4, 126.2, 125.5, 121.5, 116.4, 42.4, 34.6, 31.3; **HRMS m/z [M+H]$^+$** calculated for $C_{23}H_{25}N_2O^+$: 345.1967, found: 345.1963.

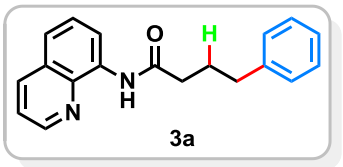
(*E*)-4-(naphthalen-2-yl)-*N*-(quinolin-8-yl)but-3-enamide (1t)



1t

Following the general procedure, the desired product **1t** was isolated by flash column chromatography on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (1.83 g, 54% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.11 (s, 1H), 8.79 (dd, *J* = 7.4, 1.3 Hz, 1H), 8.71 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.13 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.85-7.77 (m, 4H), 7.68 (dd, *J* = 8.8, 1.2 Hz, 1H), 7.57-7.38 (m, 5H), 6.87 (d, *J* = 15.8 Hz, 1H), 6.68-6.58 (m, 1H), 3.56 (dd, *J* = 7.2, 0.9 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 169.3, 148.2, 138.5, 136.3, 135.0, 134.43, 134.38, 133.6, 133.1, 128.2, 128.0, 127.9, 127.7, 127.4, 126.30, 126.25, 125.9, 123.7, 122.8, 121.60, 121.57, 116.4, 42.4; **HRMS m/z [M+H]$^+$** calculated for $C_{23}H_{19}N_2O^+$: 339.1497, found: 339.1495.

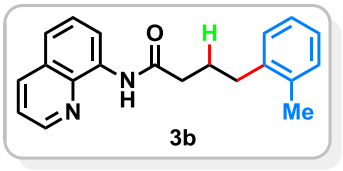
4-phenyl-*N*-(quinolin-8-yl)butanamide (3a)[4a]



3a

Following the general procedure, the desired product **3a** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (47.6 mg, 82% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.81-8.77 (m, 2H), 8.14 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.32-7.27 (m, 2H), 7.26-7.17 (m, 3H), 2.77 (t, *J* = 7.5 Hz, 2H), 2.57 (t, *J* = 7.5 Hz, 2H), 2.21-2.11 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 141.5, 138.3, 136.3, 134.5, 128.5, 128.4, 127.9, 127.4, 125.9, 121.5, 121.3, 116.4, 37.3, 35.2, 27.0.

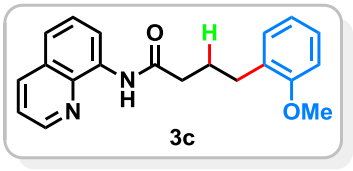
***N*-(quinolin-8-yl)-4-(o-tolyl)butanamide (3b)**[4a]



3b

Following the general procedure, the desired product **3b** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (41.7 mg, 69% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.80 (s, 1H), 8.83-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.21-7.17 (m, 1H), 7.16-7.08 (m, 3H), 2.75 (t, *J* = 7.5 Hz, 2H), 2.62 (t, *J* = 7.4 Hz, 2H), 2.33 (s, 3H), 2.16-2.07 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.1, 139.7, 138.3, 136.3, 136.0, 134.5, 130.2, 129.0, 127.9, 127.4, 126.1, 125.9, 121.5, 121.3, 116.4, 37.6, 32.6, 25.7, 19.3.

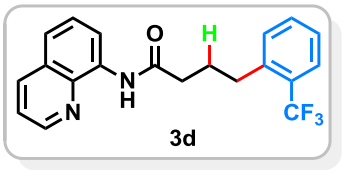
4-(2-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3c)[4c]



3c

Following the general procedure, the desired product **3c** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (49.9 mg, 78% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.80 (s, 1H), 8.85-8.77 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.23-7.17 (m, 2H), 6.90 (t, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 8.4 Hz, 1H), 3.81 (s, 3H), 2.79 (t, *J* = 7.4 Hz, 2H), 2.59 (t, *J* = 7.6 Hz, 2H), 2.20-2.10 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 157.5, 148.0, 138.3, 136.3, 134.6, 130.1, 129.8, 127.9, 127.4, 127.2, 121.5, 121.2, 120.4, 116.4, 110.2, 55.2, 37.6, 29.6, 25.6.

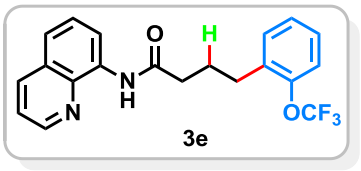
***N*-(quinolin-8-yl)-4-(2-(trifluoromethyl)phenyl)butanamide (3d)**



3d

Following the general procedure, the desired product **3d** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (58.1 mg, 81% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.82 (s, 1H), 8.83-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.62 (d, *J* = 7.9 Hz, 1H), 7.56-7.41 (m, 5H), 7.28 (t, *J* = 7.6 Hz, 1H), 2.97-2.91 (m, 2H), 2.65 (t, *J* = 7.5 Hz, 2H), 2.22-2.12 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.0, 148.1, 140.4, 138.3, 136.3, 134.5, 131.8, 131.1, 128.5 (d, *J* = 29.5 Hz), 127.9, 126.0, 125.9 (q, *J* = 5.7 Hz), 123.3, 121.6, 121.4, 116.4, 37.5, 31.9, 27.1; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -59.48; **HRMS m/z $[M+H]^+$** calculated for $C_{20}H_{18}F_3N_2O^+$: 359.1371, found: 359.1378.

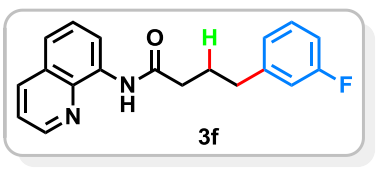
***N*-(quinolin-8-yl)-4-(2-(trifluoromethoxy)phenyl)butanamide (3e)**



3e

Following the general procedure, the desired product **3e** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (63.3 mg, 84% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.83 (s, 1H), 8.86-8.77 (m, 2H), 8.17 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.58-7.49 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.39-7.34 (m, 1H), 7.29-7.22 (m, 3H), 2.90-2.83 (m, 2H), 2.63 (t, *J* = 7.5 Hz, 2H), 2.23-2.13 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 148.1, 147.7, 138.3, 136.3, 134.5, 133.9, 130.8, 127.9, 127.4, 127.4, 126.8, 121.5, 121.4, 120.6 (d, *J* = 255.6 Hz), 120.5, 116.4, 37.3, 29.1, 25.6; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -56.95; **HRMS m/z $[M+H]^+$** calculated for $C_{20}H_{18}F_3N_2O_2^+$: 375.1320, found: 375.1314.

4-(3-fluorophenyl)-*N*-(quinolin-8-yl)butanamide (3f)[4a]

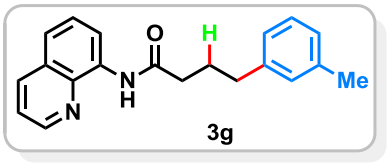


3f

Following the general procedure, the desired product **3f** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (47.9 mg, 78%

yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.84-8.74 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.24 (dt, *J* = 7.9, 5.8 Hz, 1H), 7.01 (d, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 10.0 Hz, 1H), 6.89 (td, *J* = 8.4, 2.4 Hz, 1H), 2.76 (t, *J* = 7.6 Hz, 2H), 2.57 (t, *J* = 7.4 Hz, 2H), 2.19-2.10 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 162.9 (d, *J* = 243.9 Hz), 148.1, 144.1 (d, *J* = 7.1 Hz), 138.3, 136.3, 134.4, 129.8 (d, *J* = 8.3 Hz), 127.9, 127.4, 124.2 (d, *J* = 2.7 Hz), 121.6, 121.1, 116.4, 115.4 (d, *J* = 20.7 Hz), 112.8 (d, *J* = 20.9 Hz), 37.1, 34.8 (d, *J* = 1.6 Hz), 26.7; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -113.71.

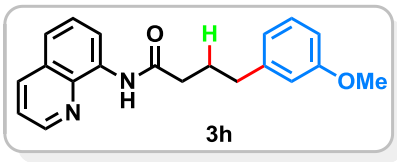
_N_-(quinolin-8-yl)-4-(m-tolyl)butanamide (3g)[4a]



3g

Following the general procedure, the desired product **3g** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (39.4 mg, 65% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.82-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.07-6.99 (m, 3H), 2.73 (t, *J* = 7.5 Hz, 2H), 2.57 (t, *J* = 7.5 Hz, 2H), 2.32 (s, 3H), 2.20-2.11 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 148.1, 141.4, 138.3, 137.9, 136.3, 134.5, 129.4, 128.3, 127.9, 127.4, 126.7, 125.6, 121.5, 121.3, 116.4, 37.3, 35.1, 27.0, 21.4.

4-(3-methoxyphenyl)-_N_-(quinolin-8-yl)butanamide (3h)[4c]

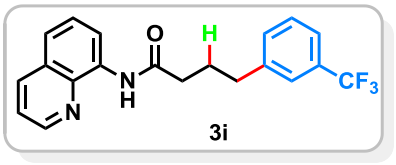


3h

Following the general procedure, the desired product **3h** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (48.8 mg, 76% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.80-8.77 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.21 (t, *J* = 7.8 Hz, 1H),

6.86-6.78 (m, 2H), 6.75 (dd, *J* = 8.2, 2.4 Hz, 1H), 3.78 (s, 3H), 2.75 (t, *J* = 7.5 Hz, 2H), 2.57 (t, *J* = 7.5 Hz, 2H), 2.20-2.10 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 159.7, 148.1, 143.1, 138.3, 136.3, 134.5, 129.3, 127.9, 127.4, 121.5, 121.4, 121.0, 116.4, 114.2, 111.4, 55.1, 37.2, 35.2, 26.9.

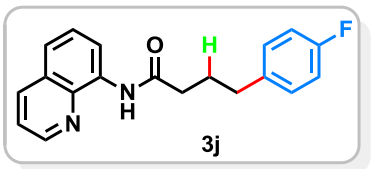
***N*-(quinolin-8-yl)-4-(3-(trifluoromethyl)phenyl)butanamide (3i)[4a]**



3i

Following the general procedure, the desired product **3i** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a white solid (51.9 mg, 72% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.81 (s, 1H), 8.83-8.74 (m, 2H), 8.15 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.57-7.48 (m, 3H), 7.47-7.37 (m, 4H), 2.86-2.79 (m, 2H), 2.59 (t, *J* = 7.3 Hz, 2H), 2.22-2.13 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.0, 148.1, 142.4, 138.3, 136.4, 134.4, 131.9, 130.7 (d, *J* = 31.7 Hz), 128.8, 127.9, 127.4, 125.6, 125.2 (q, *J* = 3.9 Hz), 122.9 (q, *J* = 3.9 Hz), 121.6, 121.5, 116.5, 37.1, 35.0, 26.8; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -62.54.

4-(4-fluorophenyl)-*N*-(quinolin-8-yl)butanamide (3j)[4a]

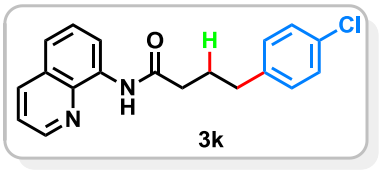


3j

Following the general procedure, the desired product **3j** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a yellow oil (48.8 mg, 76% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.21-7.15 (m, 2H), 7.00-6.93 (m, 2H), 2.73 (t, *J* = 7.6 Hz, 2H), 2.56 (t, *J* = 7.4 Hz, 2H), 2.17-2.08 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.2, 161.3 (d, *J* = 242.0 Hz), 148.1, 138.3, 137.1 (d, *J* = 3.1 Hz), 136.3, 134.4, 129.8 (d, *J* = 7.7 Hz), 127.9, 127.4, 121.5 (d, *J* = 15.4 Hz), 116.4, 115.2, 115.0, 37.1, 34.3, 27.1; **^{19}F NMR (376 MHz, $CDCl_3$)** δ

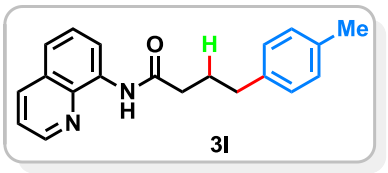
-117.56.

4-(4-chlorophenyl)-*N*-(quinolin-8-yl)butanamide (3k)[4b]



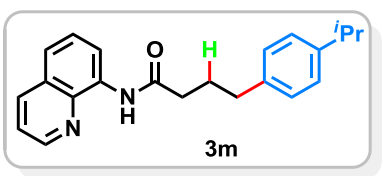
Following the general procedure, the desired product **3k** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a white solid (49.6 mg, 76% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.80 (s, 1H), 8.85-8.78 (m, 2H), 8.18 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.59-7.50 (m, 2H), 7.47 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.30-7.25 (m, 2H), 7.21-7.17 (m, 2H), 2.79-2.73 (m, 2H), 2.59 (t, *J* = 7.4 Hz, 2H), 2.20-2.11 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 139.9, 138.3, 136.4, 134.4, 131.7, 129.9, 128.5, 127.9, 127.4, 121.6, 121.4, 116.4, 37.1, 34.5, 26.9.

***N*-(quinolin-8-yl)-4-(p-tolyl)butanamide (3l)**[4a]



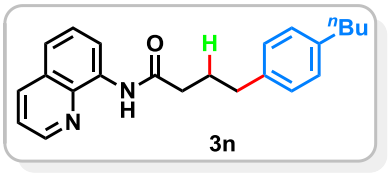
Following the general procedure, the desired product **3l** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (43.6 mg, 72% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.15-7.08 (m, 4H), 2.73 (t, *J* = 7.5 Hz, 2H), 2.56 (t, *J* = 7.5 Hz, 2H), 2.31 (s, 3H), 2.19-2.10 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 148.1, 138.4, 138.3, 136.3, 135.4, 134.5, 129.1, 128.4, 127.9, 127.4, 121.5, 121.3, 116.4, 37.3, 34.7, 27.1, 21.0.

4-(4-isopropylphenyl)-*N*-(quinolin-8-yl)butanamide (3m)



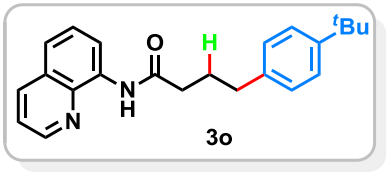
Following the general procedure, the desired product **3m** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a yellow oil (50.2 mg, 76% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.83-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.20-7.13 (m, 4H), 2.88 (hept, *J* = 6.9 Hz, 1H), 2.77-2.71 (m, 2H), 2.58 (t, *J* = 7.5 Hz, 2H), 2.20-2.10 (m, 2H), 1.24 (d, *J* = 6.9 Hz, 6H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 148.1, 146.5, 138.7, 138.3, 136.3, 134.5, 128.5, 127.9, 127.4, 126.4, 121.5, 121.3, 116.4, 37.4, 34.8, 33.7, 27.0, 24.0; **HRMS m/z [M+H]$^+$** calculated for $C_{22}H_{25}N_2O^+$: 333.1967, found: 333.1966.

4-(4-butylphenyl)-*N*-(quinolin-8-yl)butanamide (3n)



Following the general procedure, the desired product **3n** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a yellow oil (36.7 mg, 53% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.81 (s, 1H), 8.85-8.79 (m, 2H), 8.18 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.59-7.50 (m, 2H), 7.47 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.20-7.12 (m, 4H), 2.77 (t, *J* = 7.5 Hz, 2H), 2.60 (t, *J* = 7.5 Hz, 4H), 2.23-2.13 (m, 2H), 1.66-1.57 (m, 2H), 1.38 (dq, *J* = 14.6, 7.3 Hz, 2H), 0.95 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 148.1, 140.5, 138.6, 138.3, 136.3, 134.5, 128.4, 127.9, 127.4, 121.5, 121.3, 116.4, 37.4, 35.2, 34.8, 33.7, 27.1, 22.4, 13.9; **HRMS m/z [M+H]$^+$** calculated for $C_{23}H_{27}N_2O^+$: 347.2123, found: 347.2121.

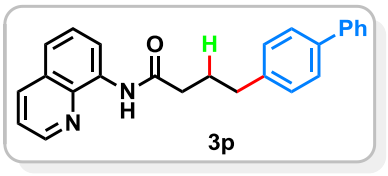
4-(4-(*tert*-butyl)phenyl)-*N*-(quinolin-8-yl)butanamide (3o)[4a]



Following the general procedure, the desired product **3o** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a yellow oil (41.3 mg, 60% yield).

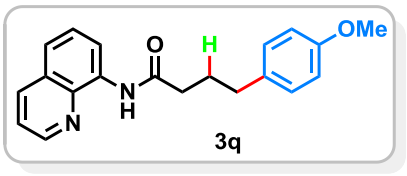
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34-7.29 (m, 2H), 7.20-7.15 (m, 2H), 2.74 (t, *J* = 7.5 Hz, 2H), 2.58 (t, *J* = 7.5 Hz, 2H), 2.20-2.11 (m, 2H), 1.31 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 148.7, 148.1, 138.4, 138.3, 136.3, 134.5, 128.2, 127.9, 127.4, 125.3, 121.5, 121.3, 116.4, 37.4, 34.7, 34.3, 31.2, 27.0.

4-([1,1'-biphenyl]-4-yl)-*N*-(quinolin-8-yl)butanamide (3p)[4a]



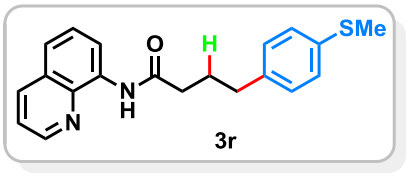
Following the general procedure, the desired product **3p** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a white solid (47.8 mg, 65% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.80 (s, 1H), 8.82-8.75 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.59-7.46 (m, 6H), 7.44-7.39 (m, 3H), 7.34-7.28 (m, 3H), 2.80 (t, *J* = 7.5 Hz, 2H), 2.60 (t, *J* = 7.4 Hz, 2H), 2.19 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.1, 141.0, 140.6, 138.9, 138.3, 136.3, 134.5, 129.0, 128.7, 127.9, 127.4, 127.1, 126.98, 126.95, 121.5, 121.4, 116.4, 37.3, 34.8, 26.9.

4-(4-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3q)[4a]



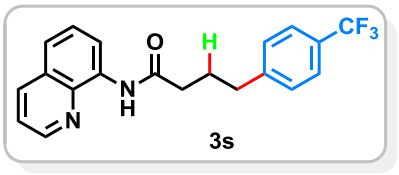
Following the general procedure, the desired product **3q** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (48.2 mg, 75% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.17-7.13 (m, 2H), 6.87-6.80 (m, 2H), 3.78 (s, 3H), 2.71 (t, *J* = 7.5 Hz, 2H), 2.56 (t, *J* = 7.5 Hz, 2H), 2.12 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 157.9, 148.1, 138.3, 136.3, 134.5, 133.5, 129.4, 127.9, 127.4, 121.5, 121.3, 116.4, 113.8, 55.2, 37.3, 34.3, 27.2.

4-(4-(methylthio)phenyl)-*N*-(quinolin-8-yl)butanamide (3r)[4d]



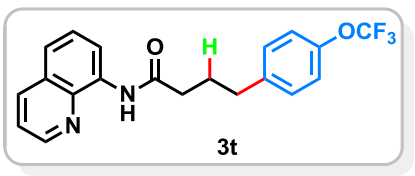
Following the general procedure, the desired product **3r** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (30.9 mg, 46% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.74 (m, 2H), 8.16 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.57-7.48 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.23-7.15 (m, 5H), 2.73 (t, *J* = 7.5 Hz, 2H), 2.57 (t, *J* = 7.4 Hz, 2H), 2.47 (s, 3H), 2.14 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.1, 138.6, 138.4, 136.4, 135.5, 134.5, 129.1, 128.0, 127.4, 127.3, 121.6, 121.4, 116.5, 37.2, 34.6, 27.0, 16.3.

***N*-(quinolin-8-yl)-4-(4-(trifluoromethyl)phenyl)butanamide (3s)[4a]**



Following the general procedure, the desired product **3s** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as an off-white solid (48.6 mg, 68% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.58-7.48 (m, 4H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34 (d, *J* = 8.0 Hz, 2H), 2.82 (t, *J* = 7.6 Hz, 2H), 2.58 (t, *J* = 7.3 Hz, 2H), 2.22-2.12 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.0, 148.1, 145.6, 138.3, 136.4, 134.4, 128.8, 128.4 (d, *J* = 32.3 Hz), 127.9, 127.4, 125.3 (q, *J* = 3.8 Hz), 124.3 (d, *J* = 270.0 Hz), 121.6, 121.5, 116.5, 37.0, 34.9, 26.7; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -62.32.

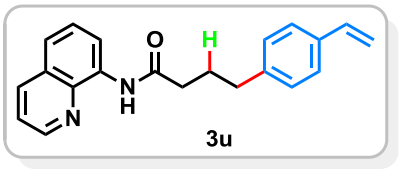
***N*-(quinolin-8-yl)-4-(4-(trifluoromethoxy)phenyl)butanamide (3t)[4a]**



Following the general procedure, the desired product **3t** was isolated by preparative

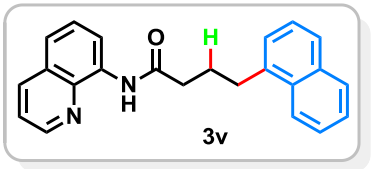
TLC on silica gel (eluent: DCM/EtOAc = 50/1) as an off-white solid (56.0 mg, 75% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.82 (s, 1H), 8.85-8.78 (m, 2H), 8.18 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.60-7.50 (m, 2H), 7.47 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.30-7.25 (m, 2H), 7.19-7.13 (m, 2H), 2.83-2.77 (m, 2H), 2.60 (t, *J* = 7.4 Hz, 2H), 2.22-2.13 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 148.1, 147.5, 140.2, 138.3, 136.4, 134.4, 129.8, 127.9, 127.4, 121.6, 121.5, 120.9, 120.5 (d, *J* = 255.0 Hz), 116.5, 37.1, 34.4, 26.9; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -57.91.

***N*-(quinolin-8-yl)-4-(4-vinylphenyl)butanamide (3u)**



Following the general procedure, the desired product **3u** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a yellow oil (43.8 mg, 69% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34 (d, *J* = 8.1 Hz, 2H), 7.20 (d, *J* = 8.1 Hz, 2H), 6.69 (dd, *J* = 17.6, 10.9 Hz, 1H), 5.70 (dd, *J* = 17.6, 0.8 Hz, 1H), 5.20 (d, *J* = 10.9 Hz, 1H), 2.76 (t, *J* = 7.5 Hz, 2H), 2.57 (t, *J* = 7.4 Hz, 2H), 2.21-2.10 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.1, 141.2, 138.3, 136.6, 136.3, 135.4, 134.5, 128.7, 127.9, 127.4, 126.3, 121.5, 121.4, 116.4, 113.0, 37.2, 34.9, 26.9; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{21}N_2O^+$: 317.1654, found: 317.1650.

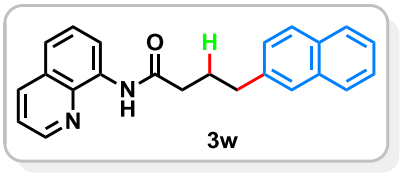
4-(naphthalen-1-yl)-*N*-(quinolin-8-yl)butanamide (3v)[4a]



Following the general procedure, the desired product **3v** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as an off-white solid (53.3 mg, 78% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.84-8.74 (m, 2H), 8.15-8.07 (m, 2H), 7.86-7.81 (m, 1H), 7.71 (dt, *J* = 7.0, 3.6 Hz, 1H), 7.55-7.44 (m, 4H), 7.44-7.35

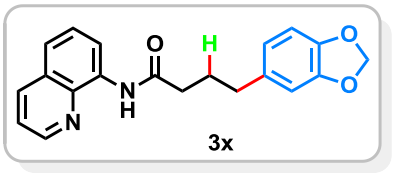
(m, 3H), 3.26-3.18 (m, 2H), 2.64 (t, *J* = 7.3 Hz, 2H), 2.33-2.23 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.1, 138.3, 137.6, 136.3, 134.5, 133.9, 131.9, 128.7, 127.9, 127.4, 126.8, 126.2, 125.8, 125.5, 125.4, 123.8, 121.5, 121.4, 116.5, 37.5, 32.3, 26.3.

4-(naphthalen-2-yl)-*N*-(quinolin-8-yl)butanamide (3w)[4a]



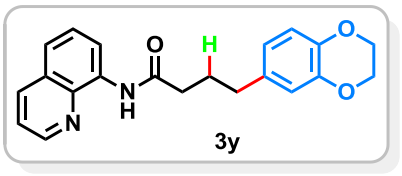
Following the general procedure, the desired product **3w** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as an off-white solid (42.6 mg, 63% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.83 (s, 1H), 8.87-8.77 (m, 2H), 8.17 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.86-7.77 (m, 3H), 7.71 (s, 1H), 7.60-7.41 (m, 6H), 2.97 (t, *J* = 7.5 Hz, 2H), 2.64 (t, *J* = 7.4 Hz, 2H), 2.29 (p, *J* = 7.4 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 139.0, 138.3, 136.3, 134.5, 133.6, 132.1, 128.0, 127.9, 127.6, 127.44, 127.40, 127.3, 126.7, 125.9, 125.2, 121.5, 121.4, 116.4, 37.2, 35.3, 26.8.

4-(benzo[d][1,3]dioxol-5-yl)-*N*-(quinolin-8-yl)butanamide (3x)[4b]



Following the general procedure, the desired product **3x** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 5/1) as a yellow oil (41.7 mg, 62% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.83-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.76-6.70 (m, 2H), 6.67 (dd, *J* = 7.9, 1.6 Hz, 1H), 5.90 (s, 2H), 2.68 (t, *J* = 7.5 Hz, 2H), 2.55 (t, *J* = 7.4 Hz, 2H), 2.11 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 147.6, 145.7, 138.3, 136.3, 135.3, 134.5, 127.9, 127.4, 121.5, 121.34, 121.30, 116.4, 109.0, 108.1, 100.7, 37.1, 34.9, 27.2.

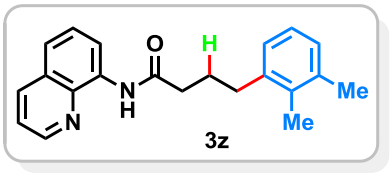
4-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-*N*-(quinolin-8-yl)butanamide (3y)



3y

Following the general procedure, the desired product **3y** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 5/1) as a yellow oil (40.9 mg, 59% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.74 (m, 2H), 8.15 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.80-6.75 (m, 2H), 6.70 (dd, *J* = 8.2, 2.0 Hz, 1H), 4.23 (s, 4H), 2.66 (t, *J* = 7.5 Hz, 2H), 2.56 (t, *J* = 7.5 Hz, 2H), 2.16-2.07 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 143.3, 141.8, 138.3, 136.3, 134.8, 134.5, 127.9, 127.4, 121.53, 121.47, 121.3, 117.1, 117.0, 116.4, 64.4, 64.3, 37.2, 34.4, 27.1; **HRMS m/z [M+H]$^{+}$** calculated for $C_{21}H_{21}N_2O_3^{+}$: 349.1552, found: 349.1543.

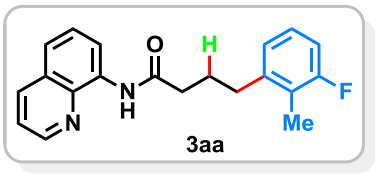
4-(2,3-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3z)



3z

Following the general procedure, the desired product **3z** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (42.6 mg, 67% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.80 (s, 1H), 8.82-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.07-6.99 (m, 3H), 2.81-2.74 (m, 2H), 2.61 (t, *J* = 7.4 Hz, 2H), 2.27 (s, 3H), 2.24 (s, 3H), 2.14-2.05 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 139.6, 138.3, 136.9, 136.3, 134.6, 134.5, 127.9, 127.8, 127.4, 127.1, 125.3, 121.5, 121.3, 116.4, 37.6, 33.4, 26.1, 20.7, 15.0; **HRMS m/z [M+H]$^{+}$** calculated for $C_{21}H_{23}N_2O^{+}$: 319.1810, found: 319.1811.

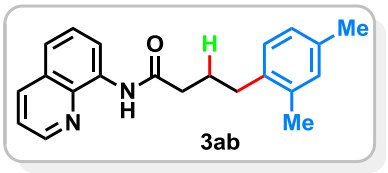
4-(3-fluoro-2-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3aa)[4d]



3aa

Following the general procedure, the desired product **3aa** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (55.0 mg, 85% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.82-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.12-7.03 (m, 1H), 6.97 (d, *J* = 7.4 Hz, 1H), 6.87 (t, *J* = 8.9 Hz, 1H), 2.80-2.73 (m, 2H), 2.61 (t, *J* = 7.3 Hz, 2H), 2.24 (d, *J* = 2.2 Hz, 3H), 2.14-2.04 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 161.5 (d, *J* = 241.5 Hz), 148.1, 142.2 (d, *J* = 3.9 Hz), 138.3, 136.3, 134.4, 127.9, 127.4, 126.4 (d, *J* = 9.0 Hz), 124.5 (d, *J* = 2.9 Hz), 123.1 (d, *J* = 15.7 Hz), 121.5, 121.4, 116.4, 112.7 (d, *J* = 23.2 Hz), 37.3, 32.5 (d, *J* = 2.7 Hz), 25.8, 10.4 (d, *J* = 5.9 Hz); **^{19}F NMR (376 MHz, $CDCl_3$)** δ -116.49.

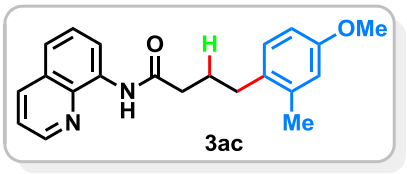
4-(2,4-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3ab)



3ab

Following the general procedure, the desired product **3ab** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (42.4 mg, 67% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.82-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.55-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.08 (d, *J* = 7.5 Hz, 1H), 6.98-6.92 (m, 2H), 2.75-2.68 (m, 2H), 2.61 (t, *J* = 7.4 Hz, 2H), 2.30 (s, 3H), 2.28 (s, 3H), 2.14-2.05 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 138.3, 136.6, 136.3, 135.8, 135.5, 134.5, 131.0, 129.0, 127.9, 127.4, 126.5, 121.5, 121.3, 116.4, 37.6, 32.2, 25.9, 20.8, 19.2; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{23}N_2O^+$: 319.1810, found: 319.1811.

4-(4-methoxy-2-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ac)

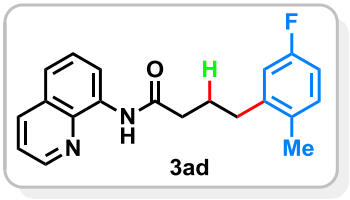


3ac

Following the general procedure with **2ac (**3.0 equiv), the desired product **3ac** was

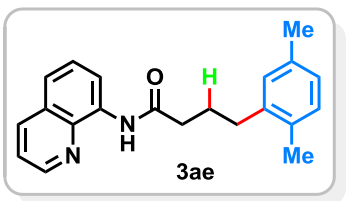
isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (42.0 mg, 63% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.10 (d, *J* = 8.2 Hz, 1H), 6.72-6.66 (m, 2H), 3.76 (s, 3H), 2.74-2.66 (m, 2H), 2.60 (t, *J* = 7.4 Hz, 2H), 2.31 (s, 3H), 2.12-2.03 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 157.8, 148.1, 138.3, 137.3, 136.3, 134.5, 131.9, 129.9, 127.9, 127.4, 121.5, 121.3, 116.4, 115.9, 111.0, 55.2, 37.6, 31.8, 26.1, 19.6; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{23}N_2O_2^+$: 335.1760, found: 335.1757.

4-(5-fluoro-2-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ad)



Following the general procedure, the desired product **3ad** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (44.0 mg, 68% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.81 (s, 1H), 8.82-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.06 (dd, *J* = 8.3, 6.0 Hz, 1H), 6.92 (dd, *J* = 9.9, 2.7 Hz, 1H), 6.79 (td, *J* = 8.4, 2.8 Hz, 1H), 2.75-2.68 (m, 2H), 2.62 (t, *J* = 7.3 Hz, 2H), 2.27 (s, 3H), 2.14-2.05 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 162.3 (d, *J* = 241.4 Hz), 148.1, 141.7 (d, *J* = 6.8 Hz), 138.3, 136.3, 134.4, 131.5 (d, *J* = 3.1 Hz), 131.3 (d, *J* = 7.8 Hz), 127.9, 127.4, 121.6, 121.4, 116.4, 115.4 (d, *J* = 20.7 Hz), 112.5 (d, *J* = 20.5 Hz), 37.3, 32.6 (d, *J* = 1.4 Hz), 25.4, 18.5; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -118.05; **HRMS m/z [M+H]$^+$** calculated for $C_{20}H_{20}FN_2O^+$: 323.1560, found:323.1563.

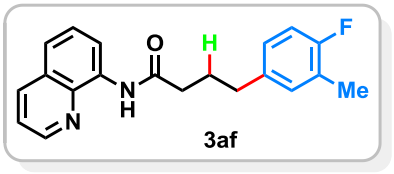
4-(2,5-dimethylphenyl)-N-(quinolin-8-yl)butanamide (3ae)



Following the general procedure, the desired product **3ae** was isolated by preparative

TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (44.6 mg, 70% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.81 (s, 1H), 8.83-8.75 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.05-6.99 (m, 2H), 6.92 (dd, *J* = 7.6, 1.4 Hz, 1H), 2.75-2.68 (m, 2H), 2.62 (t, *J* = 7.4 Hz, 2H), 2.29 (s, 6H), 2.14-2.06 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 139.5, 138.3, 136.3, 135.3, 134.5, 132.8, 130.1, 129.8, 127.9, 127.4, 126.7, 121.5, 121.3, 116.5, 37.6, 32.6, 25.8, 20.9, 18.8; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{23}N_2O^+$: 319.1810, found: 319.1812.

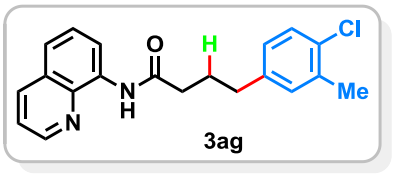
4-(4-fluoro-3-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3af)



3af

Following the general procedure, the desired product **3af** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a colorless oil (52.2 mg, 81% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.06-6.96 (m, 2H), 6.94-6.87 (m, 1H), 2.69 (t, *J* = 7.5 Hz, 2H), 2.55 (t, *J* = 7.4 Hz, 2H), 2.23 (d, *J* = 1.8 Hz, 3H), 2.16-2.07 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 159.9 (d, *J* = 240.9 Hz), 148.1, 138.3, 136.8 (d, *J* = 3.6 Hz), 136.3, 134.5, 131. 4 (d, *J* = 4.9 Hz), 127.9, 127.4, 127.1 (d, *J* = 7.7 Hz), 124.5 (d, *J* = 17.0 Hz), 121.5, 121.4, 116.4, 114.7 (d, *J* = 22.0 Hz), 37.1, 34.3, 27.1, 14.5 (d, *J* = 3.4 Hz); **^{19}F NMR (376 MHz, $CDCl_3$)** δ -121.99; **HRMS m/z [M+H]$^+$** calculated for $C_{20}H_{20}FN_2O^+$: 323.1560, found: 323.1562.

4-(4-chloro-3-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ag)

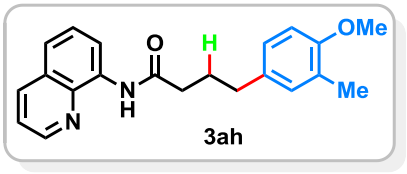


3ag

Following the general procedure, the desired product **3ag** was isolated by preparative

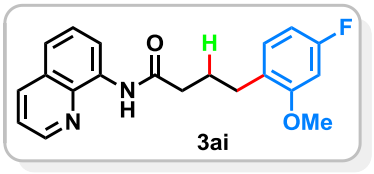
TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a pale yellow oil (54.1 mg, 80% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.77 (s, 1H), 8.82-8.74 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.23 (d, *J* = 8.1 Hz, 1H), 7.09 (d, *J* = 1.6 Hz, 1H), 6.99 (dd, *J* = 8.1, 2.0 Hz, 1H), 2.69 (t, *J* = 7.5 Hz, 2H), 2.55 (t, *J* = 7.4 Hz, 2H), 2.32 (s, 3H), 2.17-2.07 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.2, 148.1, 140.0, 138.3, 136.3, 135.8, 134.4, 131.8, 131.2, 128.9, 127.9, 127.4, 127.3, 121.5, 121.4, 116.4, 113.8, 37.1, 34.4, 26.9, 19.9; **HRMS m/z [M+H]$^+$** calculated for $C_{20}H_{20}ClN_2O^+$: 339.1264, found: 339.1261.

4-(4-methoxy-3-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ah)



Following the general procedure with **2ah (**3.0 equiv), the desired product **3ah** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (35.3 mg, 53% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.83-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.04-6.99 (m, 2H), 6.75 (d, *J* = 8.5 Hz, 1H), 3.80 (s, 3H), 2.68 (t, *J* = 7.5 Hz, 2H), 2.56 (t, *J* = 7.5 Hz, 2H), 2.19 (s, 3H), 2.17-2.08 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.6, 156.1, 148.1, 138.4, 136.3, 134.6, 133.1, 130.9, 127.9, 127.4, 126.54, 126.46, 121.5, 121.3, 116.4, 110.0, 55.4, 37.3, 34.3, 16.2; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{23}N_2O_2^+$: 335.1760, found: 335.1750.

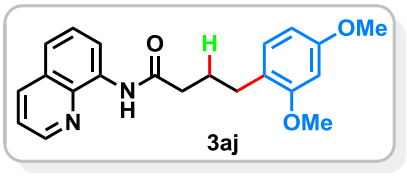
4-(4-fluoro-2-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3ai)



Following the general procedure, the desired product **3ai** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a yellow oil (53.7 mg, 79% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.83-8.74 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz,

1H), 7.56-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 6.62-6.53 (m, 2H), 3.77 (s, 3H), 2.71 (t, *J* = 7.4 Hz, 2H), 2.56 (t, *J* = 7.5 Hz, 2H), 2.15-2.04 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.6, 162.2 (d, *J* = 241.6 Hz), 158.4 (d, *J* = 9.5 Hz), 148.0, 138.3, 136.3, 134.5, 130.4 (d, *J* = 9.7 Hz), 127.9, 127.4, 125.4 (d, *J* = 3.2 Hz), 121.5, 121.3, 116.4, 106.3 (d, *J* = 20.6 Hz), 98.7 (d, *J* = 25.4 Hz), 55.4, 37.5, 29.0, 25.6; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -114.46; **HRMS m/z [M+H]$^+$** calculated for $C_{20}H_{20}FN_2O_2^+$: 339.1509, found: 339.1506.

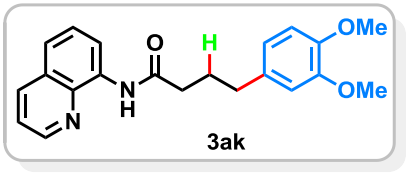
4-(2,4-dimethoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3aj)



3aj

Following the general procedure, the desired product **3aj** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 5/1) as a yellow oil (37.2 mg, 53% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.55-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.08 (d, *J* = 8.0 Hz, 1H), 6.45-6.39 (m, 2H), 3.78 (s, 3H), 3.77 (s, 3H), 2.70 (t, *J* = 7.4 Hz, 2H), 2.59-2.52 (m, 2H), 2.14-2.05 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.8, 159.3, 158.4, 148.0, 138.3, 136.3, 134.6, 130.3, 127.9, 127.4, 122.3, 121.5, 121.2, 116.4, 103.8, 98.5, 55.3, 55.2, 37.6, 29.0, 25.8; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{23}N_2O_3^+$: 351.1709, found: 351.1707.

4-(3,4-dimethoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3ak)

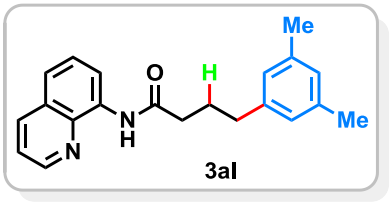


3ak

Following the general procedure with **2ak (**3.0 equiv), the desired product **3ak** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 5/1) as a yellow oil (41.5 mg, 59% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.16 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.48 (m, 2H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H),

6.82-6.75 (m, 3H), 3.86 (s, 3H), 3.85 (s, 3H), 2.72 (t, *J* = 7.5 Hz, 2H), 2.58 (t, *J* = 7.4 Hz, 2H), 2.15 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.5, 148.9, 148.1, 147.3, 138.3, 136.3, 134.5, 134.1, 127.9, 127.4, 121.6, 121.4, 120.4, 116.4, 111.9, 111.3, 55.9, 55.8, 37.2, 34.8, 27.2; **HRMS m/z [M+H]$^+$** calculated for $C_{21}H_{23}N_2O_3^+$: 351.1709, found: 351.1708.

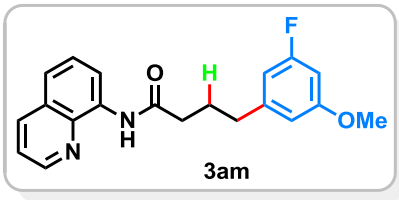
4-(3,5-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3al)[4a]



3al

Following the general procedure, the desired product **3al** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (40.7 mg, 64% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.78 (s, 1H), 8.82-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.88-6.82 (m, 3H), 2.69 (t, *J* = 7.5 Hz, 2H), 2.56 (t, *J* = 7.4 Hz, 2H), 2.28 (s, 6H), 2.14 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.5, 148.0, 141.4, 138.3, 137.8, 136.3, 134.5, 127.9, 127.6, 127.4, 126.4, 121.5, 121.3, 116.4, 37.3, 35.0, 27.0, 21.2.

4-(3-fluoro-5-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3am)

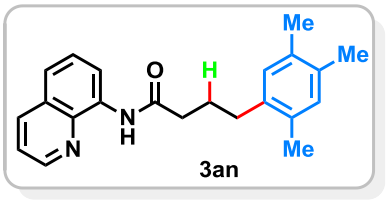


3am

Following the general procedure, the desired product **3am** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (47.0 mg, 69% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.79 (s, 1H), 8.82-8.73 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.60-6.54 (m, 2H), 6.46 (dt, *J* = 10.7, 2.3 Hz, 1H), 3.76 (s, 3H), 2.72 (t, *J* = 7.5 Hz, 2H), 2.57 (t, *J* = 7.4 Hz, 2H), 2.14 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.1, 163.6 (d, *J* = 243.0 Hz), 160.9 (d, *J* = 11.4 Hz), 148.1, 144.6 (d, *J* = 9.2 Hz), 138.3, 136.3, 134.5, 127.9, 127.4, 121.6, 121.4, 116.4, 111.0 (d, *J* = 2.5 Hz), 107.7 (d, *J* = 21.2 Hz), 99.2

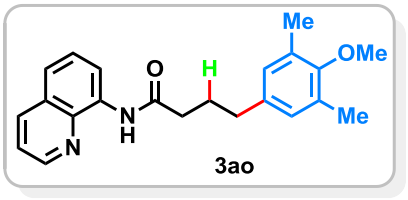
(d, *J* = 24.9 Hz), 55.4, 37.1, 35.1 (d, *J* = 2.0 Hz), 26.6; **^{19}F NMR (376 MHz, CDCl$_3$)** δ -112.36; **HRMS m/z [M+H]$^+$** calculated for $C_{20}H_{20}FN_2O_2^+$: 339.1509, found: 339.1505.

***N*-(quinolin-8-yl)-4-(2,4,5-trimethylphenyl)butanamide (3an)**



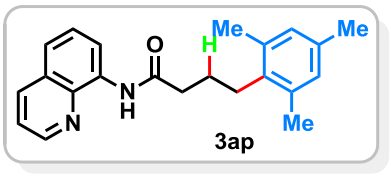
Following the general procedure, the desired product **3an** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a pale yellow oil (36.3 mg, 55% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.80 (s, 1H), 8.82-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.96 (s, 1H), 6. 91 (s, 3H), 2.73-2.66 (m, 2H), 2.61 (t, *J* = 7.4 Hz, 2H), 2.27 (s, 3H), 2.19 (s, 3H), 2.19 (s, 3H), 2.14-2.04 (m, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.5, 148.1, 138.3, 136.9, 136.3, 134.5, 134.0, 133.8, 133.1, 131.6, 130.5, 127.9, 127.4, 121.5, 121.3, 116.4, 37.6, 32.2, 26.0, 19.12, 19.06, 18.6; **HRMS m/z [M+H]$^+$** calculated for $C_{22}H_{25}N_2O^+$: 333.1967, found: 333.1965.

4-(4-methoxy-3,5-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3ao)[4d]



Following the general procedure, the desired product **3ao** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (59.2 mg, 56% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.78 (s, 1H), 8.82-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.87 (s, 2H), 3.69 (s, 3H), 2.65 (t, *J* = 7.5 Hz, 2H), 2.56 (t, *J* = 7.4 Hz, 2H), 2.25 (s, 6H), 2.12 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.5, 155.2, 148.1, 138.3, 136.7, 136.3, 134.5, 130.5, 128.9, 127.9, 127.4, 121.5, 121.3, 116.4, 59.6, 37.3, 34.5, 27.1, 16.0.

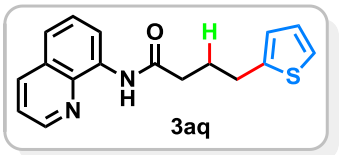
4-mesityl-*N*-(quinolin-8-yl)butanamide (3ap)



3ap

Following the general procedure with **2ap (**3.0 equiv), the desired product **3ap** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (12.1 mg, 18% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.82 (s, 1H), 8.83-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.83 (s, 2H), 2.77-2.70 (m, 2H), 2.68 (t, *J* = 7.2 Hz, 2H), 2.32 (s, 6H), 2.24 (s, 3H), 2.02-1.94 (m, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.3, 148.1, 138.4, 136.3, 136.1, 135.4, 135.1, 134.5, 128.9, 128.0, 127.4, 121.5, 121.3, 116.5, 38.2, 28.9, 24.9, 20.8, 19.7; **HRMS m/z [M+H]$^+$** calculated for $C_{22}H_{25}N_2O^+$: 333.1967, found: 333.1968.

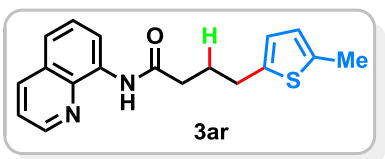
***N*-(quinolin-8-yl)-4-(thiophen-2-yl)butanamide (3aq)[4a]**



3aq

Following the general procedure with **2ar (**3.0 equiv), the desired product **3aq** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (29.1 mg, 49% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.79 (s, 1H), 8.81-8.76 (m, 2H), 8.15 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.14 (dd, *J* = 5.1, 1.1 Hz, 1H), 6.95-6.92 (m, 1H), 6.88-6.83 (m, 1H), 3.00 (t, *J* = 7.4 Hz, 2H), 2.62 (t, *J* = 7.4 Hz, 2H), 2.21 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.1, 148.1, 144.2, 138.3, 136.3, 134.5, 127.9, 127.4, 126.8, 124.6, 123.2, 121.6, 121.4, 116.5, 37.0, 29.2, 27.3.

4-(5-methylthiophen-2-yl)-*N*-(quinolin-8-yl)butanamide (3ar)

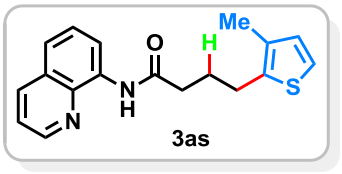


3ar

Following the general procedure with **2ar (**3.0 equiv), the desired product **3ar** was

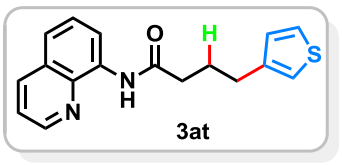
isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (32.9 mg, 53% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.81 (s, 1H), 8.85-8.78 (m, 2H), 8.18 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.59-7.50 (m, 2H), 7.47 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.65 (d, *J* = 3.3 Hz, 1H), 6.60-6.57 (m, 1H), 2.93 (t, *J* = 7.3 Hz, 2H), 2.64 (t, *J* = 7.4 Hz, 2H), 2.46 (s, 3H), 2.19 (p, *J* = 7.4 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.2, 148.1, 142.0, 138.3, 137.6, 136.3, 134.5, 127.9, 127.4, 124.7, 124.4, 121.6, 121.4, 116.4, 37.0, 29.4, 27.3, 15.3; **HRMS m/z [M+H]$^+$** calculated for $C_{18}H_{19}N_2OS^+$: 311.1218, found: 311.1222.

4-(3-methylthiophen-2-yl)-*N*-(quinolin-8-yl)butanamide (3as)



Following the general procedure with **2as (**3.0 equiv), the desired product **3as** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (32.4 mg, 52% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.83-8.74 (m, 2H), 8.15 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.56-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.04 (d, *J* = 5.1 Hz, 1H), 6.79 (d, *J* = 5.1 Hz, 1H), 2.89 (t, *J* = 7.5 Hz, 2H), 2.62 (t, *J* = 7.4 Hz, 2H), 2.21-2.11 (m, 2H), 2.17 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.1, 148.1, 138.3, 137.3, 136.3, 134.5, 133.1, 130.0, 127.9, 127.4, 121.6, 121.4, 121.2, 116.5, 37.0, 27.2, 27.0, 13.6; **HRMS m/z [M+H]$^+$** calculated for $C_{18}H_{19}N_2OS^+$: 311.1218, found: 311.1218.

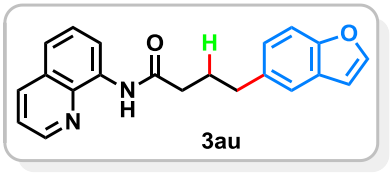
***N*-(quinolin-8-yl)-4-(thiophen-3-yl)butanamide (3at)[4a]**



Following the general procedure , the desired product **3at** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (44.3 mg, 75% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.79 (s, 1H), 8.80-8.77 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.55-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.27-7.24 (m, 1H),

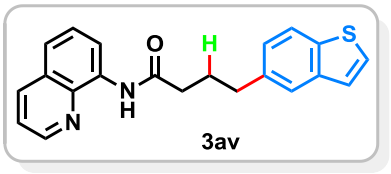
7.02-6.98 (m, 2H), 2.79 (t, *J* = 7.5 Hz, 2H), 2.58 (t, *J* = 7.4 Hz, 2H), 2.16 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.1, 141.8, 138.3, 136.3, 134.5, 128.1, 127.9, 127.4, 125.4, 121.5, 121.3, 120.5, 116.4, 37.3, 29.5, 26.2.

4-(benzofuran-5-yl)-*N*-(quinolin-8-yl)butanamide (3au)[4d]



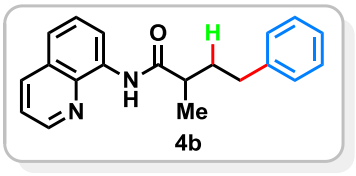
Following the general procedure, the desired product **3au** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (36.4 mg, 55% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.16 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.59 (d, *J* = 2.2 Hz, 1H), 7.57-7.48 (m, 2H), 7.47-7.40 (m, 3H), 7.17 (dd, *J* = 8.4, 1.5 Hz, 1H), 6.70 (dd, *J* = 2.1, 0.8 Hz, 1H), 2.86 (t, *J* = 7.5 Hz, 2H), 2.58 (t, *J* = 7.4 Hz, 2H), 2.20 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.5, 153.7, 148.1, 145.1, 138.4, 136.4, 135.9, 134.5, 128.0, 127.6, 127.4, 125.0, 121.6, 121.4, 120.7, 116.5, 111.1, 106.4, 37.2, 35.1, 27.6.

4-(benzo[*b*]thiophen-5-yl)-*N*-(quinolin-8-yl)butanamide (3av)



Following the general procedure, the desired product **3av** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as a pale yellow oil (43.2 mg, 62% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.75 (m, 2H), 8.15 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.80 (d, *J* = 8.3 Hz, 1H), 7.69 (s, 1H), 7.57-7.47 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.41 (d, *J* = 5.4 Hz, 1H), 7.28-7.22 (m, 2H), 2.89 (t, *J* = 7.5 Hz, 2H), 2.59 (t, *J* = 7.4 Hz, 2H), 2.22 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.1, 140.0, 138.4, 137.6, 137.5, 136.3, 134.5, 128.0, 127.4, 126.5, 125.4, 123.6, 123.2, 122.4, 121.6, 121.4, 116.5, 37.2, 35.1, 27.3; **HRMS m/z $[M+H]^+$** calculated for $C_{21}H_{19}N_2OS^+$: 347.1218, found: 347.1215.

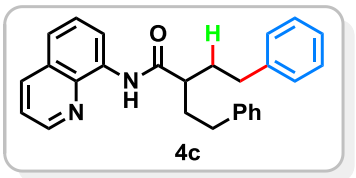
2-methyl-4-phenyl-*N*-(quinolin-8-yl)butanamide (4b)[4b]



4b

Following the general procedure, the desired product **4b** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (45.6 mg, 75% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.87 (s, 1H), 8.86-8.78 (m, 2H), 8.16 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.57-7.48 (m, 2H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.30-7.16 (m, 5H), 2.82-2.67 (m, 2H), 2.67-2.58 (m, 1H), 2.27-2.16 (m, 1H), 1.91-1.81 (m, 1H), 1.36 (d, *J* = 6.9 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 175.0, 148.1, 141.7, 138.5, 136.3, 134.5, 128.5, 128.4, 127.9, 127.4, 125.9, 121.6, 121.4, 116.5, 42.3, 36.0, 33.6, 18.2.

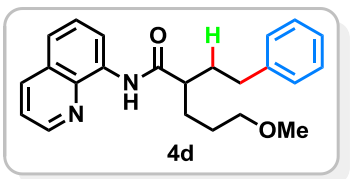
2-phenethyl-4-phenyl-*N*-(quinolin-8-yl)butanamide (4c)



4c

Following the general procedure, the desired product **4c** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a pale yellow solid (57.5 mg, 73% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.88 (s, 1H), 8.87 (dd, *J* = 7.3, 1.4 Hz, 1H), 8.82 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.17 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.59-7.50 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.28-7.22 (m, 4H), 7.21-7.14 (m, 6H), 2.80-2.70 (m, 2H), 2.70-2.60 (m, 2H), 2.57-2.48 (m, 1H), 2.26-2.14 (m, 2H), 1.96-1.84 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.0, 148.2, 141.7, 138.5, 136.3, 134.4, 128.5, 128.4, 128.0, 127.4, 125.9, 121.6, 121.5, 116.6, 47.9, 34.9, 33.7; **HRMS m/z $[M+H]^+$** calculated for $C_{27}H_{27}N_2O^+$: 395.2123, found: 395.2122.

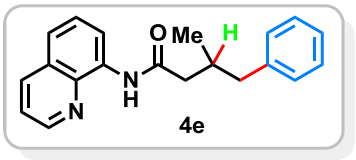
5-methoxy-2-phenethyl-*N*-(quinolin-8-yl)pentanamide (4d)



4d

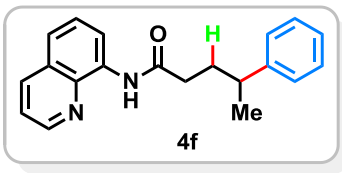
Following the general procedure, the desired product **4d** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (55.7 mg, 77% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.91 (s, 1H), 8.85 (dd, *J* = 7.3, 1.6 Hz, 1H), 8.82 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.16 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.58-7.49 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.30-7.15 (m, 5H), 3.45-3.33 (m, 2H), 3.30 (s, 3H), 2.82-2.72 (m, 1H), 2.71-2.61 (m, 1H), 2.58-2.48 (m, 1H), 2.24-2.13 (m, 1H), 1.94-1.83 (m, 2H), 1.73-1.64 (m, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 174.3, 148.1, 141.7, 138.5, 136.3, 134.5, 128.5, 128.4, 128.0, 127.4, 125.8, 121.6, 121.4, 116.6, 72.6, 58.5, 48.2, 34.8, 33.7, 30.1, 27.5; **HRMS m/z [M+H]$^+$** calculated for $C_{23}H_{27}N_2O_2^+$: 363.2073, found: 363.2070.

3-methyl-4-phenyl-*N*-(quinolin-8-yl)butanamide (4e)[4b]



Following the general procedure, the desired product **4e** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as an off-white solid (23.2 mg, 38% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.78 (s, 1H), 8.82-8.76 (m, 2H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.55-7.46 (m, 2H), 7.44 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.31-7.26 (m, 2H), 7.26-7.17 (m, 3H), 2.78 (dd, *J* = 13.1, 6.1 Hz, 1H), 2.62-2.55 (m, 2H), 2.56-2.46 (m, 1H), 2.37 (dd, *J* = 13.9, 7.6 Hz, 1H), 1.05 (d, *J* = 6.4 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.0, 148.1, 140.3, 138.3, 136.3, 134.5, 129.3, 128.2, 127.9, 127.4, 126.0, 121.5, 121.3, 116.4, 45.0, 43.0, 32.7, 19.6.

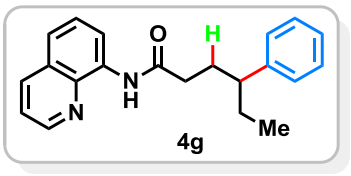
4-phenyl-*N*-(quinolin-8-yl)pentanamide (4f)[4b]



Following the general procedure, the desired product **4f** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (43.5 mg, 72% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.69 (s, 1H), 8.80-8.73 (m, 2H), 8.14 (dd, *J* =

8.3, 1.7 Hz, 1H), 7.55-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34-7.29 (m, 2H), 7.27-7.18 (m, 3H), 2.88-2.88 (m, 1H), 2.43 (t, *J* = 7.7 Hz, 2H), 2.22-2.12 (m, 1H), 2.12-2.01 (m, 1H), 1.33 (d, *J* = 6.9 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.6, 148.0, 146.4, 138.3, 136.3, 134.5, 128.5, 127.9, 127.4, 127.1, 126.2, 121.5, 121.3, 116.4, 39.5, 36.2, 33.7, 22.5.

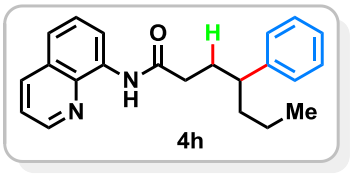
4-phenyl-*N*-(quinolin-8-yl)hexanamide (4g)[4b]



4g

Following the general procedure, the desired product **4g** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (from *cis*: 44.9 mg, 71% yield; from *trans*: 46.4 mg, 73% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.68 (s, 1H), 8.83-8.76 (m, 2H), 8.16 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.58-7.48 (m, 2H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.37-7.31 (m, 2H), 7.27-7.21 (m, 3H), 2.62-2.53 (m, 1H), 2.47-2.36 (m, 2H), 2.35-2.26 (m, 1H), 2.09-1.98 (m, 1H), 1.83-1.74 (m, 1H), 1.74-1.61 (m, 1H), 0.83 (t, *J* = 7.4 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.0, 144.6, 138.3, 136.3, 134.5, 128.4, 127.9, 127.8, 127.4, 126.2, 121.5, 121.3, 116.4, 47.3, 36.1, 31.9, 29.9, 12.1.

4-phenyl-*N*-(quinolin-8-yl)heptanamide (4h)

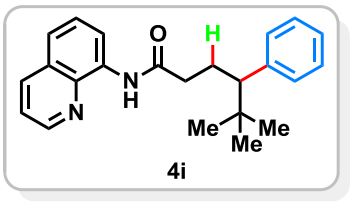


4h

Following the general procedure, the desired product **4h** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (51.5 mg, 78% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.65 (s, 1H), 8.76 (dd, *J* = 4.3, 1.6 Hz, 2H), 8.13 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.55-7.45 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.33-7.28 (m, 2H), 7.23-7.18 (m, 3H), 2.69-2.59 (m, 1H), 2.40-2.33 (m, 2H), 2.30-2.19 (m, 1H), 2.05-1.94 (m, 1H), 1.72-1.59 (m, 2H), 1.27-1.12 (m, 2H), 0.84 (t, *J*

= 7.3 Hz, 3H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.7, 148.0, 144.9, 138.3, 136.3, 134.5, 128.4, 127.9, 127.8, 127.4, 126.2, 121.5, 121.3, 116.4, 45.3, 39.3, 36.1, 32.2, 20.6, 14.1; **HRMS m/z [M+H]$^+$** calculated for $C_{22}H_{25}N_2O^+$: 333.1967, found: 333.1963.

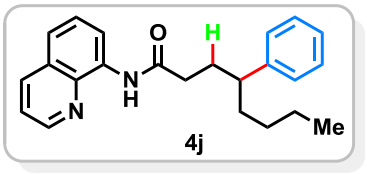
5,5-dimethyl-4-phenyl-*N*-(quinolin-8-yl)hexanamide (4i)[4d]



4i

Following the general procedure with 3.0 equiv of trimethoxyphenylsilane **2a**, the desired product **4i** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (42.5 mg, 61% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.58 (s, 1H), 8.79-8.72 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.54-7.45 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.33-7.26 (m, 2H), 7.25-7.18 (m, 3H), 2.48-2.10 (m, 5H), 0.92 (s, 9H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.9, 148.0, 142.1, 138.3, 136.3, 134.6, 127.9, 127.8, 127.4, 126.2, 121.5, 121.2, 116.3, 56.2, 36.9, 34.0, 28.2, 25.2.

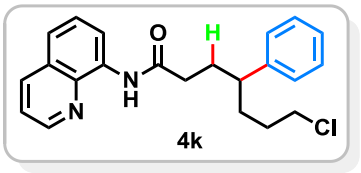
4-phenyl-*N*-(quinolin-8-yl)octanamide (4j)[4c]



4j

Following the general procedure, the desired product **4j** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (47.9 mg, 69% yield). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 9.68 (s, 1H), 8.83-8.77 (m, 2H), 8.17 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.58-7.49 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.36-7.31 (m, 2H), 7.27-7.20 (m, 3H), 2.70-2.60 (m, 1H), 2.46-2.33 (m, 2H), 2.33-2.23 (m, 1H), 2.08-1.97 (m, 1H), 1.78-1.61 (m, 2H), 1.36-1.19 (m, 3H), 1.19-1.09 (m, 1H), 0.85 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 171.7, 148.0, 145.0, 138.3, 136.3, 134.5, 128.4, 127.9, 127.8, 127.4, 126.2, 121.5, 121.3, 116.4, 45.5, 36.8, 36.1, 32.3, 29.7, 22.7, 14.0.

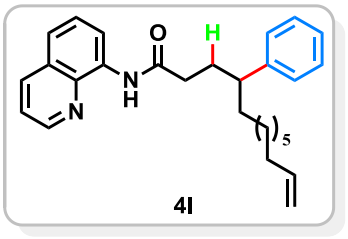
7-chloro-4-phenyl-*N*-(quinolin-8-yl)heptanamide (4k)



4k

Following the general procedure, the desired product **4k** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a pale yellow oil (52.4 mg, 71% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.68 (s, 1H), 8.83-8.74 (m, 2H), 8.17 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.58-7.49 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.38-7.31 (m, 2H), 7.28-7.21 (m, 3H), 3.49 (t, *J* = 6.5 Hz, 2H), 2.74-2.64 (m, 1H), 2.47-2.36 (m, 2H), 2.35-2.23 (m, 1H), 2.11-2.00 (m, 1H), 1.97-1.85 (m, 1H), 1.84-1.58 (m, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.4, 148.0, 143.9, 138.3, 136.3, 134.5, 128.7, 127.9, 127.7, 127.4, 126.5, 121.5, 121.3, 116.4, 45.0, 44.9, 35.9, 34.2, 32.2 30.6; **HRMS m/z [M+H]$^+$** calculated for $C_{22}H_{24}ClN_2O^+$: 367.1577, found: 367.1576.

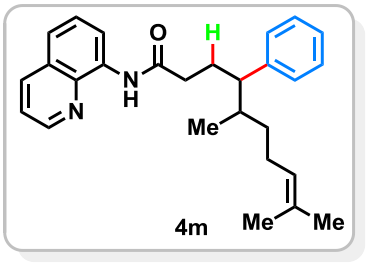
4-phenyl-*N*-(quinolin-8-yl)non-8-enamide (4l)



4l

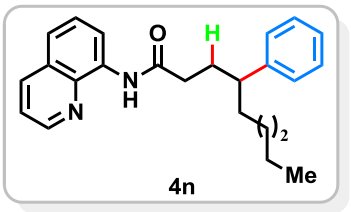
Following the general procedure, the desired product **4l** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a yellow oil (57.1 mg, 69% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.68 (s, 1H), 8.82-8.77 (m, 2H), 8.17 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.58-7.49 (m, 2H), 7.46 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.37-7.31 (m, 2H), 7.26-7.21 (m, 3H), 5.81 (ddt, *J* = 16.9, 10.2, 6.7 Hz, 1H), 5.05-4.90 (m, 2H), 2.69-2.59 (m, 1H), 2.44-2.36 (m, 2H), 2.33-2.24 (m, 1H), 2.09-1.97 (m, 3H), 1.76-1.60 (m, 3H), 1.38-1.16 (m, 10H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.0, 144.9, 139.2, 138.3, 136.3, 134.5, 128.4, 127.9, 127.8, 127.4, 126.2, 121.5, 121.3, 116.4, 114.0, 45.5, 37.1, 36.1, 33.7, 32.3, 29.6, 29.3, 29.0, 28.9, 27.5; **HRMS m/z [M+H]$^+$** calculated for $C_{28}H_{35}N_2O^+$: 415.2749, found: 415.2754.

5,9-dimethyl-4-phenyl-*N*-(quinolin-8-yl)dec-8-enamide (4m)[4d]



Following the general procedure with 3.0 equiv of trimethoxyphenylsilane **2a**, the desired product **4m** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 50/1) as a pale yellow oil (40.2 mg, 50% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.61 (s, 1H), 8.81-8.71 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.54-7.46 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.33-7.27 (m, 2H), 7.24-7.16 (m, 3H), 5.14-4.93 (m, 1H), 2.58-2.47 (m, 1H), 2.40-2.24 (m, 3H), 2.14-1.86 (m, 3H), 1.80-1.70 (m, 1H), 1.70-1.56 (m, 6H), 1.38-1.26 (m, 1H), 1.21-1.05 (m, 1H), 0.98 (d, *J* = 6.7 Hz, 2H), 0.76 (d, *J* = 6.8 Hz, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.82, 171.78, 148.0, 143.7, 143.0, 138.3, 136.3, 134.6, 131.2, 131.1, 128.8, 128.6, 128.3, 128.2, 127.9, 127.4, 126.14, 126.10, 124.7, 121.5, 121.3, 116.4, 51.0, 50.7, 38.3, 38.1, 36.5, 36.4, 34.8, 34.4, 28.8, 27.7, 25.69, 25.65, 25.57, 25.55, 17.7, 17.6, 17.0, 16.8.

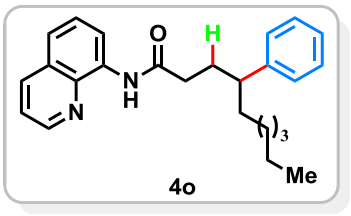
4-phenyl-*N*-(quinolin-8-yl)nonanamide (4n)[4d]



Following the general procedure, the desired product **4n** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (52.1 mg, 72% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.65 (s, 1H), 8.80-8.74 (m, 2H), 8.14 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.55-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.35-7.27 (m, 2H), 7.21 (t, *J* = 6.5 Hz, 3H), 2.62 (tt, *J* = 10.0, 5.1 Hz, 1H), 2.44-2.30 (m, 2H), 2.30-2.20 (m, 1H), 2.05-1.95 (m, 1H), 1.74-1.60 (m, 2H), 1.32-1.10 (m, 6H), 0.82 (t, *J* = 6.3 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.0, 144.9, 138.3, 136.3, 134.6, 128.5, 127.9, 127.8, 127.4, 126.2, 121.5, 121.3, 116.4, 45.6, 37.1, 36.1, 32.3, 31.9, 27.2, 22.5,

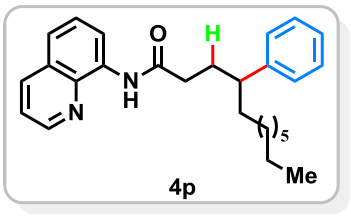
14.0.

4-phenyl-*N*-(quinolin-8-yl)decanamide (4o)



Following the general procedure, the desired product **4o** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (53.1 mg, 70% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.65 (s, 1H), 8.79-8.74 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.54-7.45 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34-7.28 (m, 2H), 7.23-7.17 (m, 3H), 2.62 (tt, *J* = 10.1, 5.1 Hz, 1H), 2.41-2.32 (m, 2H), 2.31-2.20 (m, 1H), 2.05-1.94 (m, 1H), 1.74-1.57 (m, 2H), 1.30-1.09 (m, 8H), 0.83 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.0, 145.0, 138.3, 136.3, 134.5, 128.4, 127.9, 127.8, 127.4, 126.1, 121.5, 121.3, 116.4, 45.6, 37.1, 36.1, 32.3, 31.7, 29.3, 27.5, 22.6, 14.0; **HRMS m/z [M+H]$^+$** calculated for $C_{25}H_{31}N_2O^+$: 375.2436, found: 375.2437.

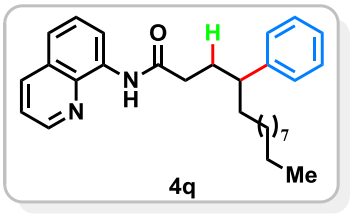
4-phenyl-*N*-(quinolin-8-yl)dodecanamide (4p)



Following the general procedure, the desired product **4p** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (52.2 mg, 65% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.65 (s, 1H), 8.79-8.74 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.54-7.46 (m, 2H), 7.43 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.34-7.27 (m, 2H), 7.23-7.18 (m, 3H), 2.62 (tt, *J* = 10.0, 5.2 Hz, 1H), 2.42-2.31 (m, 2H), 2.30-2.20 (m, 1H), 2.05-1.94 (m, 1H), 1.74-1.58 (m, 2H), 1.30-1.13 (m, 12H), 0.85 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.0, 145.0, 138.3, 136.3, 134.5, 128.4, 127.9, 127.8, 127.4, 126.1, 121.5, 121.3, 116.4, 45.6, 37.1, 36.1, 32.3, 31.8, 29.7, 29.4,

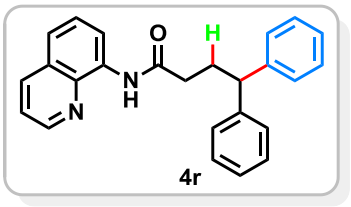
29.2, 27.5, 22.6, 14.1; **HRMS m/z [M+H]$^+$** calculated for $C_{27}H_{35}N_2O^+$: 403.2749, found: 403.2751.

4-phenyl-*N*-(quinolin-8-yl)tetradecanamide (4q)



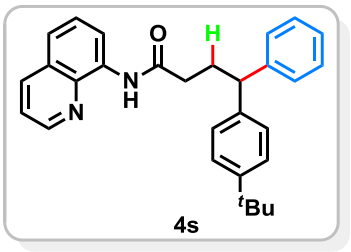
Following the general procedure, the desired product **4q** was isolated by preparative TLC on silica gel (eluent: DCM/EtOAc = 100/1) as a pale yellow oil (54.4 mg, 63% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.65 (s, 1H), 8.78-8.74 (m, 2H), 8.14 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.55-7.46 (m, 2H), 7.43 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.34-7.27 (m, 2H), 7.23-7.17 (m, 3H), 2.61 (dt, *J* = 14.6, 5.0 Hz, 1H), 2.42-2.32 (m, 2H), 2.30-2.19 (m, 1H), 2.05-1.94 (m, 1H), 1.74-1.59 (m, 2H), 1.32-1.13 (m, 16H), 0.87 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.7, 148.0, 145.0, 138.3, 136.3, 134.6, 128.5, 127.9, 127.8, 127.4, 126.2, 121.5, 121.3, 116.4, 45.6, 37.1, 36.1, 32.3, 31.9, 29.7, 29.59, 29.56, 29.5, 29.3, 27.5, 22.7, 14.1; **HRMS m/z [M+H]$^+$** calculated for $C_{29}H_{29}N_2O^+$: 431.3062, found: 431.3057.

4,4-diphenyl-*N*-(quinolin-8-yl)butanamide (4r)[4b]



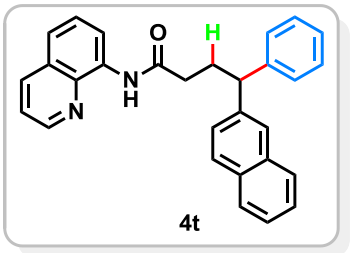
Following the general procedure, the desired product **4r** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (54.7 mg, 75% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.69 (s, 1H), 8.81-8.72 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.55-7.46 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.33-7.25 (m, 8H), 7.22-7.16 (m, 2H), 4.05 (t, *J* = 7.6 Hz, 1H), 2.62-2.55 (m, 2H), 2.54-2.49 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.2, 148.0, 144.2, 138.3, 136.3, 134.5, 128.5, 127.9, 127.4, 126.3, 121.5, 121.4, 116.4, 50.5, 36.3, 30.0.

4-(4-(*tert*-butyl)phenyl)-4-phenyl-*N*-(quinolin-8-yl)butanamide (4s)



Following the general procedure, the desired product **4s** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (59.0 mg, 70% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.68 (s, 1H), 8.79-8.73 (m, 2H), 8.13 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.55-7.45 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.33-7.26 (m, 6H), 7.24-7.15 (m, 3H), 4.01 (t, *J* = 7.6 Hz, 1H), 2.63-2.49 (m, 4H), 1.27 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 149.0, 148.0, 144.5, 141.1, 138.3, 136.3, 134.5, 128.5, 127.93, 127.90, 127.5, 127.4, 126.2, 125.4, 121.5, 121.3, 116.4, 50.2, 36.4, 34.3, 31.3, 31.1; **HRMS m/z [M+H]$^+$** calculated for $C_{29}H_{31}N_2O^+$: 423.2436, found: 423.2433.

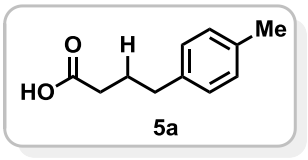
4-(naphthalen-2-yl)-4-phenyl-*N*-(quinolin-8-yl)butanamide (4t)



Following the general procedure, the desired product **4t** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (55.1 mg, 66% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.69 (s, 1H), 8.78 (dd, *J* = 7.5, 1.3 Hz, 1H), 8.72 (dd, *J* = 4.2, 1.7 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.81-7.72 (m, 4H), 7.55-7.46 (m, 2H), 7.45-7.32 (m, 6H), 7.29 (dd, *J* = 10.3, 5.0 Hz, 2H), 7.21-7.16 (m, 1H), 4.21 (t, *J* = 7.8 Hz, 1H), 2.74-2.65 (m, 2H), 2.58-2.52 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 171.3, 148.0, 144.1, 141.6, 138.3, 136.3, 134.5, 133.5, 132.2, 128.6, 128.3, 128.0, 127.9, 127.8, 127.5, 127.4, 126.6, 126.4, 126.1, 126.0, 125.5, 121.5, 121.4, 116.4, 50.5, 36.3, 30.8; **HRMS m/z [M+H]$^+$** calculated for $C_{29}H_{25}N_2O^+$: 417.1967, found:

417.1959.

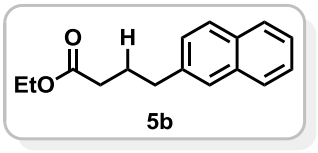
4-(*p*-tolyl)butanoic acid (5a) (CAS:4521-22-6)



5a

Following the general procedure, the desired product **5a** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 9/1) as an off-white solid (33.4 mg, 94% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.12-7.04 (m, 4H), 2.63 (t, *J* = 7.6 Hz, 2H), 2.36 (t, *J* = 7.5 Hz, 2H), 2.31 (s, 3H), 1.94 (p, *J* = 7.5 Hz, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 179.9, 138.1, 135.5, 129.1, 128.3, 34.5, 33.3, 26.3, 21.0.

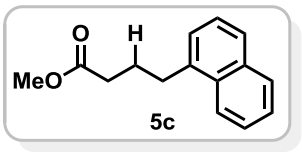
ethyl 4-(naphthalen-2-yl)butanoate (5b)[5a]



5b

Following the general procedure, the desired product **5b** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 95/5) as a pale yellow oil (42.2 mg, 87% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.82-7.74 (m, 3H), 7.61 (s, 1H), 7.47-7.39 (m, 2H), 7.32 (dd, *J* = 8.4, 1.2 Hz, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.81 (t, *J* = 7.6 Hz, 2H), 2.35 (t, *J* = 7.5 Hz, 2H), 2.04 (p, *J* = 7.5 Hz, 2H), 1.24 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 173.5, 138.9, 133.6, 132.1, 127.9, 127.6, 127.4, 127.2, 126.6, 125.9, 125.2, 60.2, 35.3, 33.6, 26.4, 14.2.

methyl 4-(naphthalen-1-yl)butanoate (5c)[5b]



5c

Following the general procedure, the desired product **5c** was isolated by preparative TLC on silica gel (eluent: PE/EtOAc = 95/5) as a pale yellow oil (54.1 mg, 82% yield). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.05 (d, *J* = 8.3 Hz, 1H), 7.86-7.82 (m, 1H), 7.71 (d, *J* = 8.2 Hz, 1H), 7.54-7.43 (m, 2H), 7.41-7.36 (m, 1H), 7.30 (d, *J* = 6.8 Hz, 1H), 3.67 (s,

3H), 3.15-3.07 (m, 2H), 2.41 (t, *J* = 7.3 Hz, 2H), 2.14-2.04 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 173.9, 137.5, 133.9, 131.8, 128.7, 126.8, 126.1, 125.8, 125.5, 123.7, 51.5, 33.7, 32.3, 25.8.

References

1. (a) Gurak, J. A.; Yang, K. S.; Liu, Z. and Engle, K. M. *J. Am. Chem. Soc.* **2016**, *138*, 5805−5808; (b) Yang, K. S.; Gurak, J. A.; Liu, Z. and Engle, K. M. *J. Am. Chem. Soc.* **2016**, *138*, 14705−14712; (c) Tang, C.; Zhang, R.; Zhu, B.; Fu, J.; Deng, Y.; Tian, L.; Guan, W. and Bi, X. *J. Am. Chem. Soc.* **2018**, *140*, 16929−16935.

2. Kawamata, Y.; Hashimoto, T. and Maruoka, K. *J. Am. Chem. Soc.* **2016**, *138* 5206−5209.

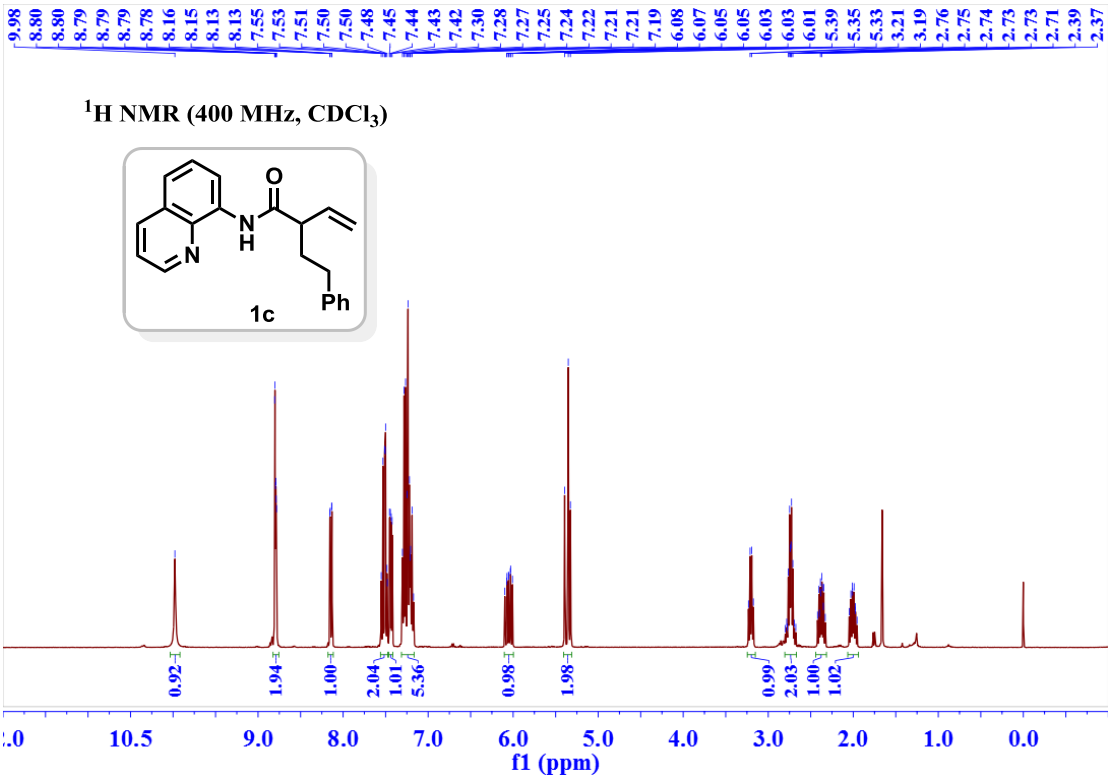
3. (a) A. S. Manosa, C. Ahn, A. Soheili, C. J. Handy, R. Correia, W. M. Seganish and P. DeShong, *J. Org. Chem.* **2004**, *69*, 8305−8314; (b) J. Yu, J. Liu, G. Shi, C. Shao, Y. Zhang, *Angew. Chem., Int. Ed.* **2015**, *54*, 4079−4082. (c) Lu, M.-Z.; Ding, X.; Shao, C.; Hu, Z.; Luo, H.; Zhi, S.; Hu, H.; Kan, Y. and Loh, T.-P. *Org. Lett.* **2020**, *22*, 2663−2668.

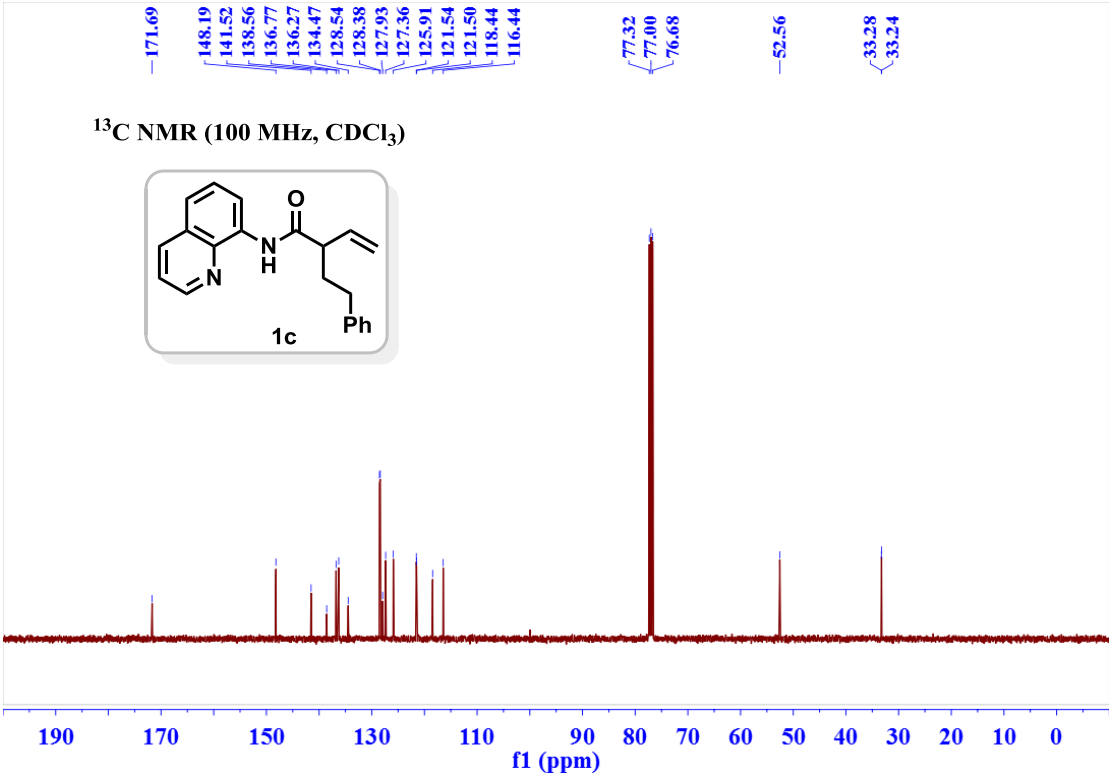
4. (a) Lv, H.; Xiao, L.-J.; Zhao, D. and Zhou, Q.-L. *Chem. Sci.* **2018**, *9*, 6839−6843; (b) Matsuura, R.; Jankins, T. C.; Hill, D. E.; Yang, K. S.; Gallego, G. M.; Yang, S.; He, M.; Wang, F.; Marsters, R. P.; McAlpine, I. and Engle, K. M. *Chem. Sci.* **2018**, *9*, 8363−8368; (c) Wang, C.; Xiao, G.; Guo, T.; Ding, Y.; Wu, X. and Loh, T.-P. *J. Am. Chem. Soc.* **2018**, *140*, 9332−9336; (d) Zheng, K.; Xiao, G.; Guo, T.; Ding, Y.; Wang, C.; Loh, T.-P. and Wu, X. *Org. Lett.* **2020**, *22*, 694−699.

5. (a) Wilheim, T.; Lautens, M. *Org. Lett.* **2005**, *7*, 4053−4056. (b) Kerim, M. D.; Jia, S.; Theodorakidou, C.; Prévost, S.; Kaïm, L. E. *Chem. Commun.* **2018**, *54*, 10917−10920.

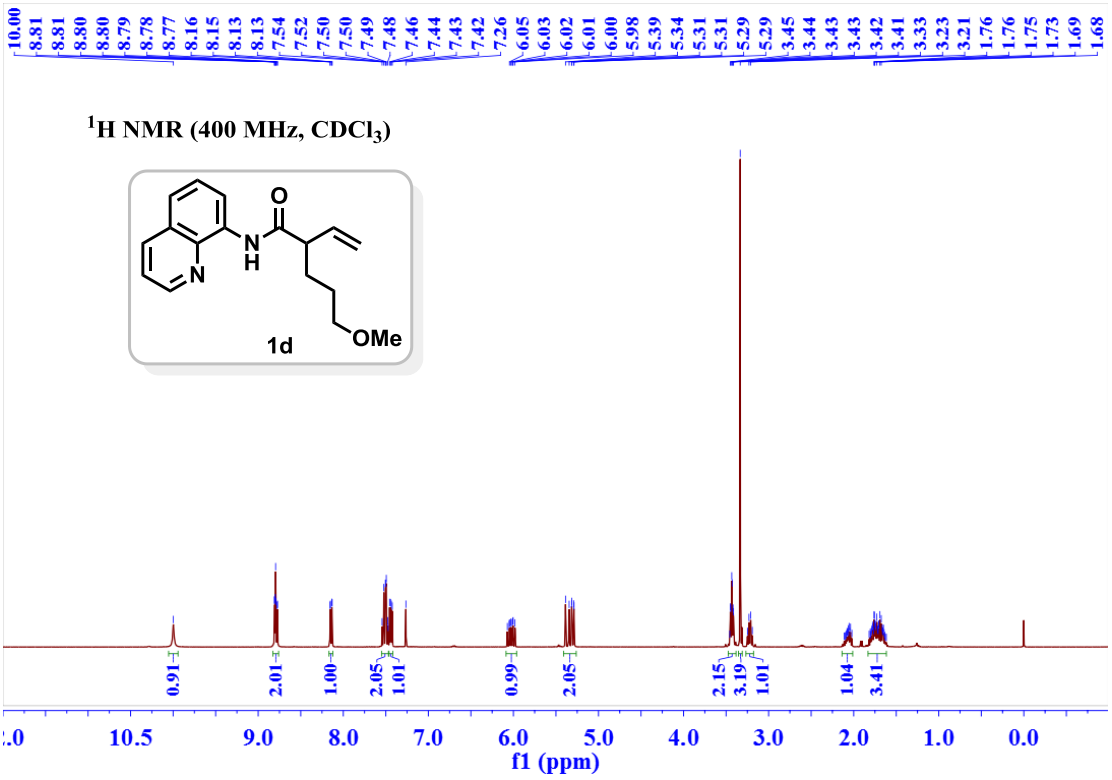
7. ^{1}H and ^{13}C NMR Spectra of Products

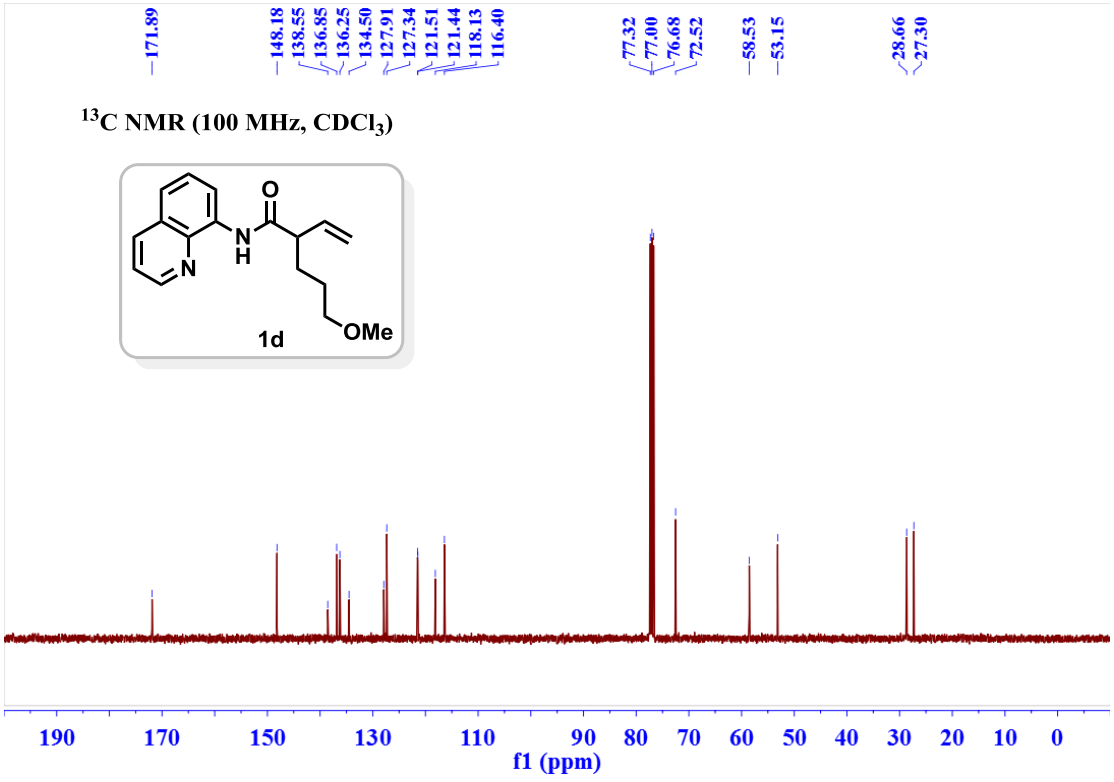
2-phenethyl-*N*-(quinolin-8-yl)but-3-enamide (1c)



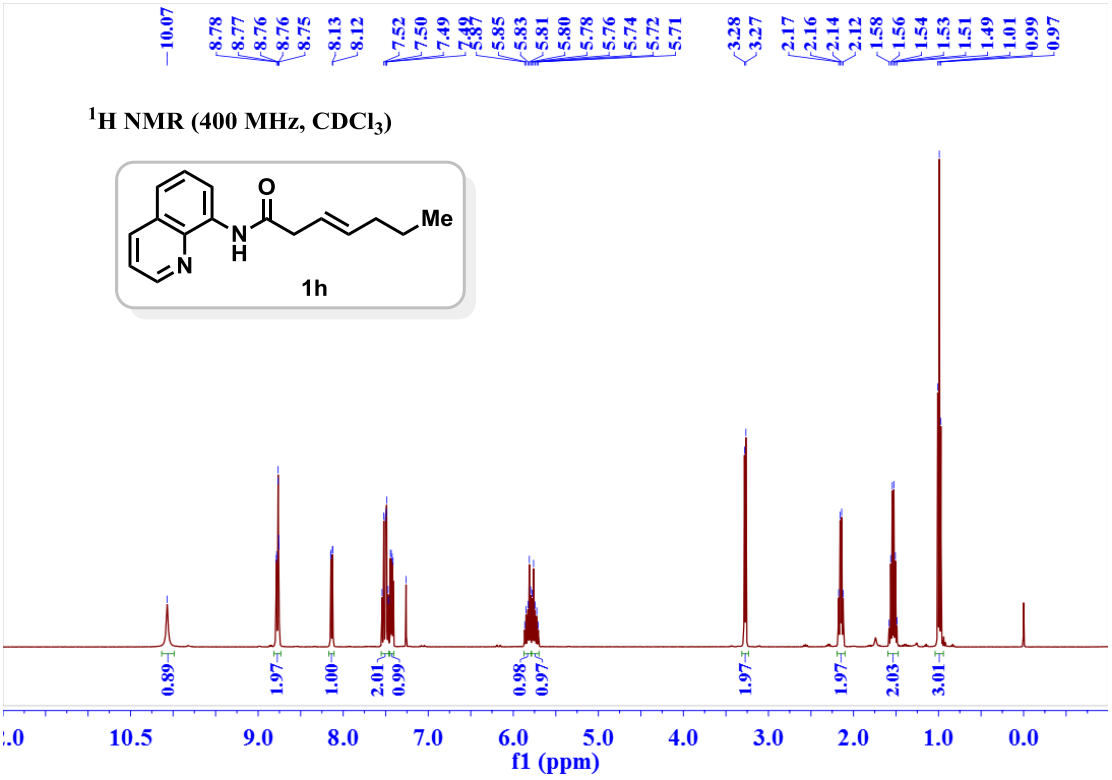


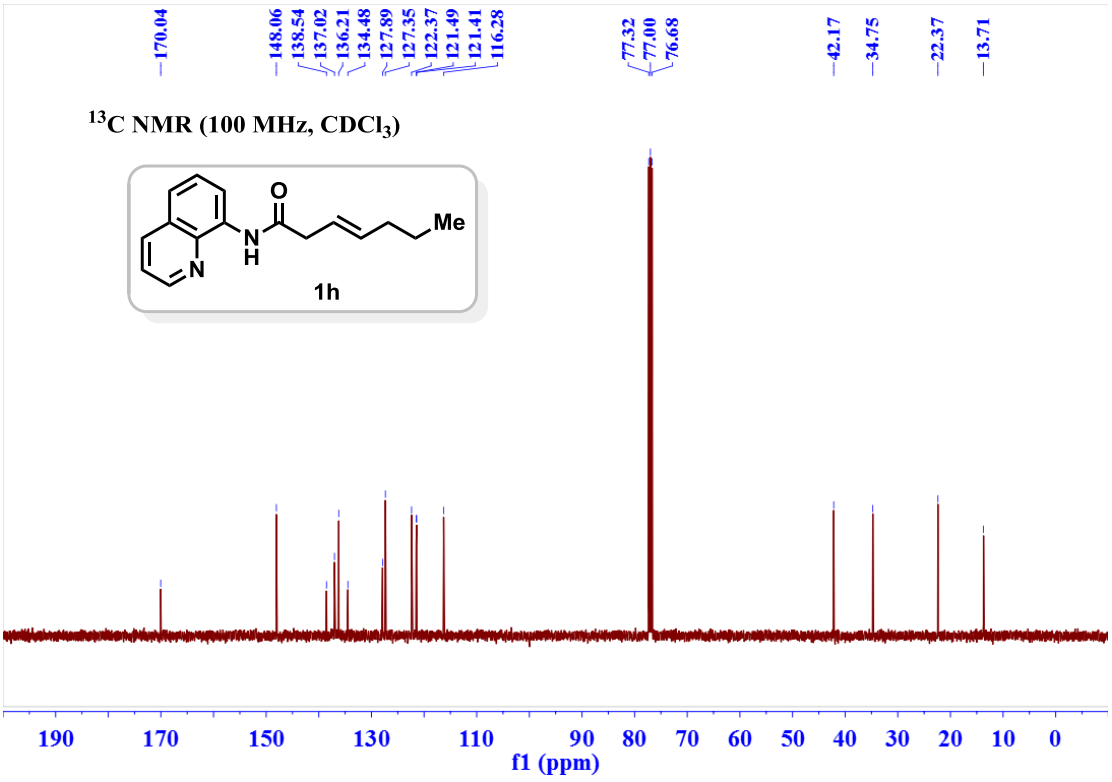
5-methoxy-*N*-(quinolin-8-yl)-2-vinylpentanamide (1d)



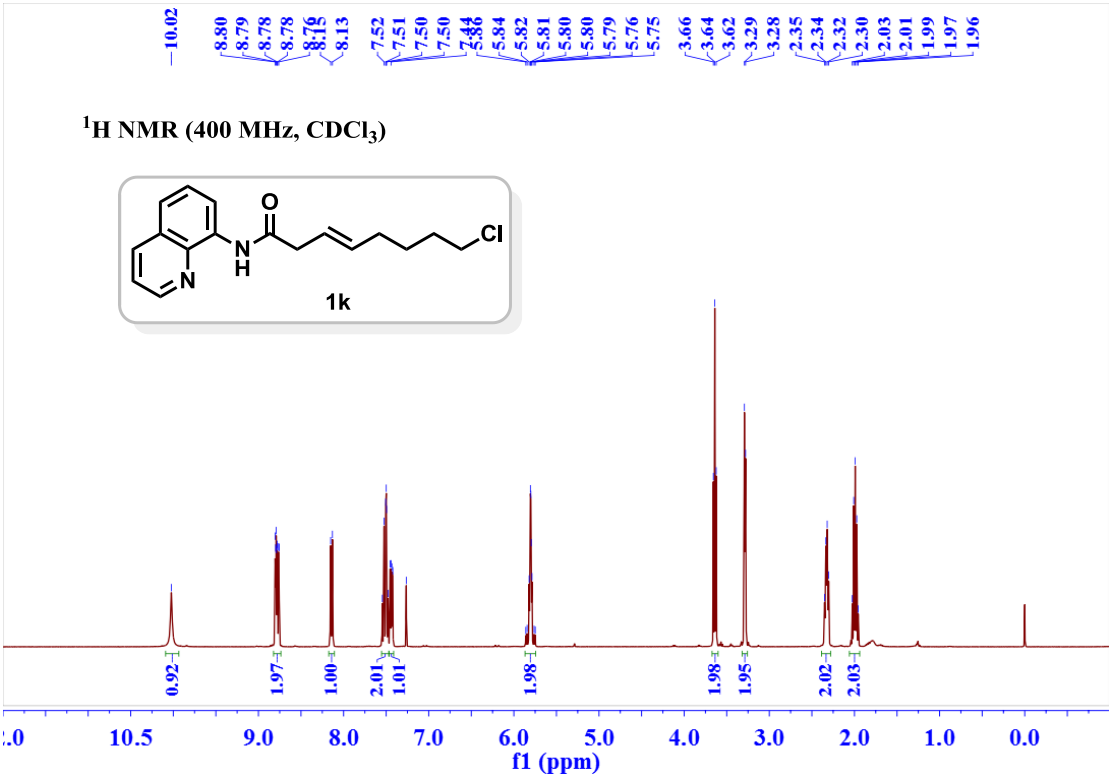


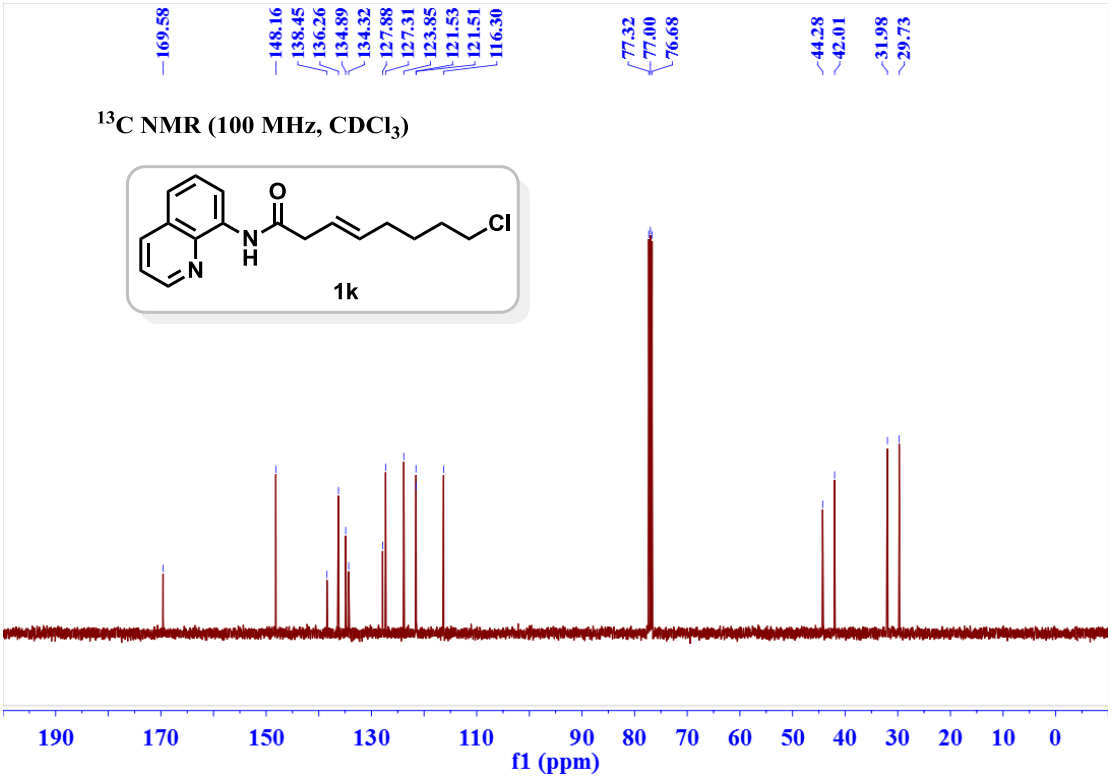
(*E*)-*N*-(quinolin-8-yl)hept-3-enamide (1h)



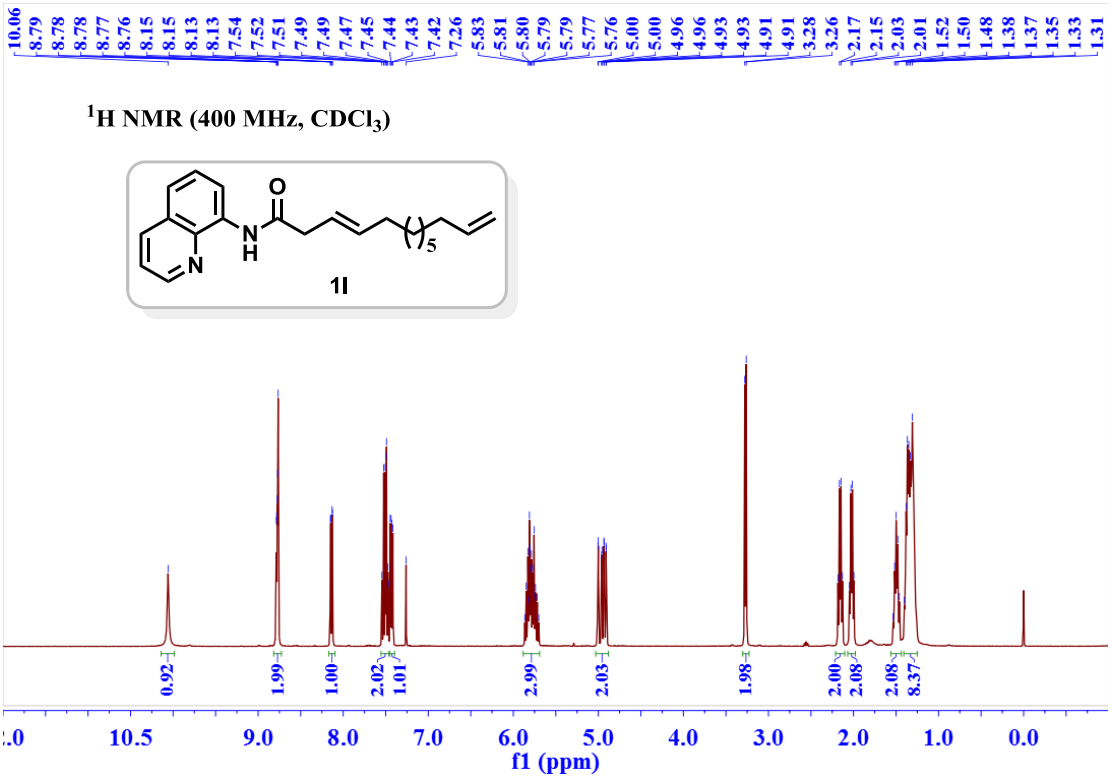


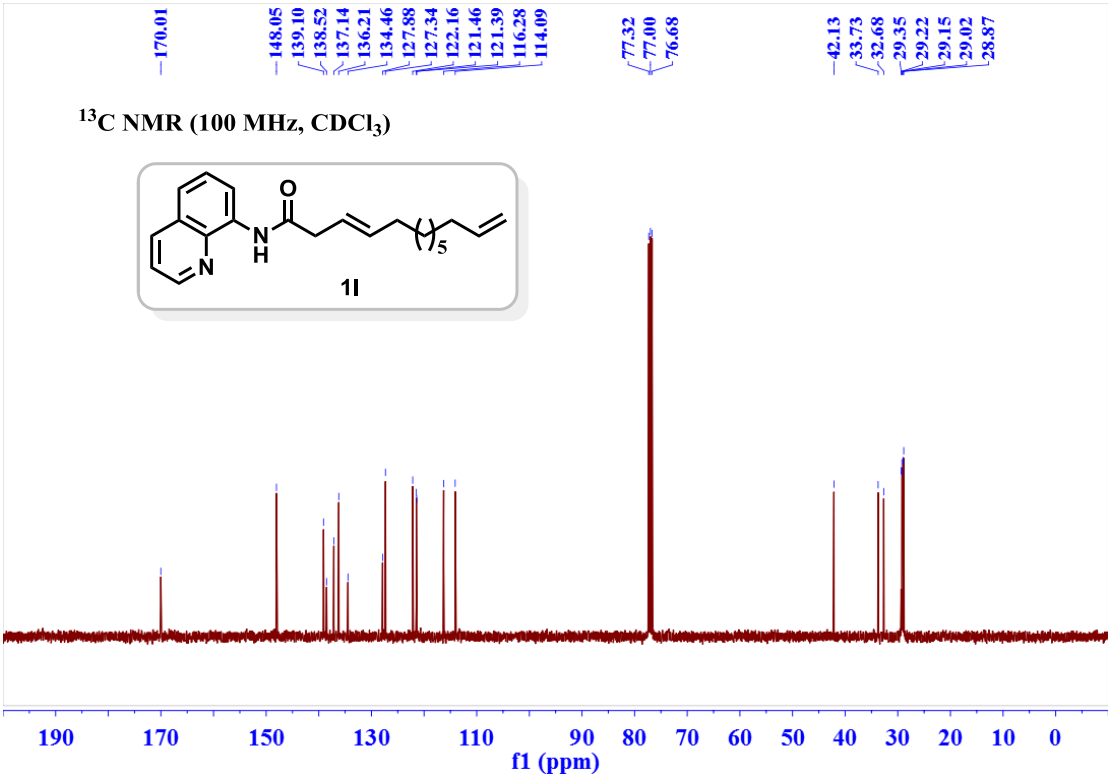
(*E*)-8-chloro-*N*-(quinolin-8-yl)oct-3-enamide (1k)



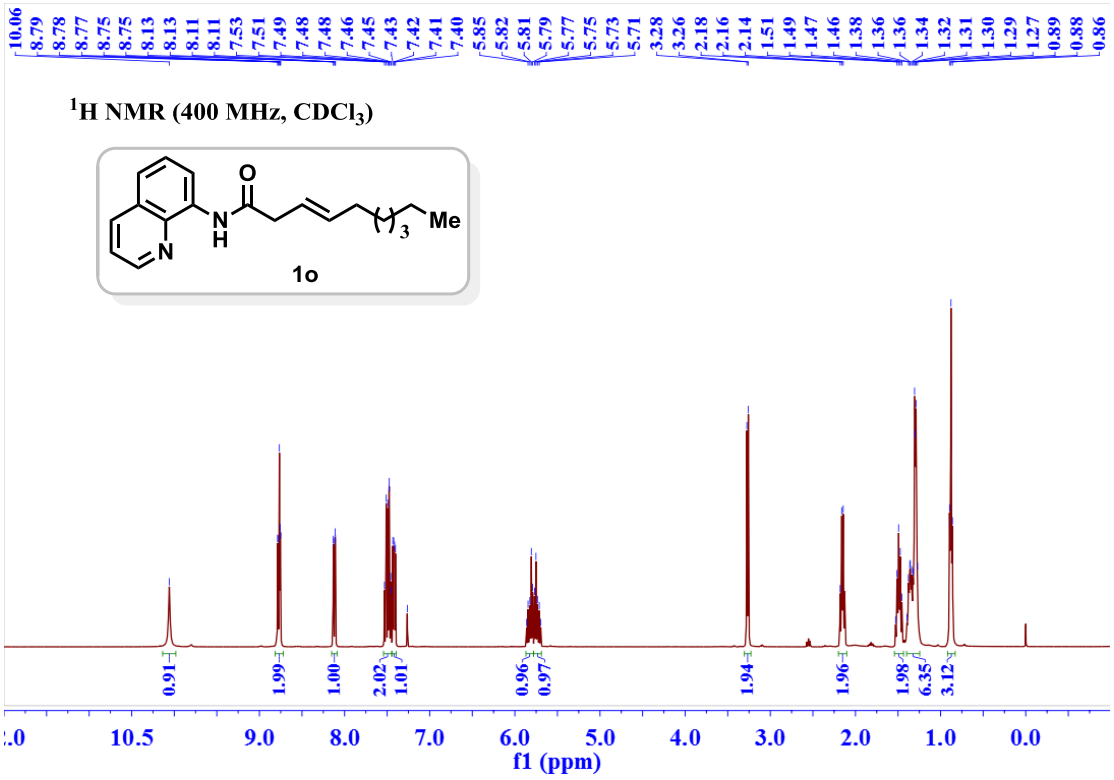


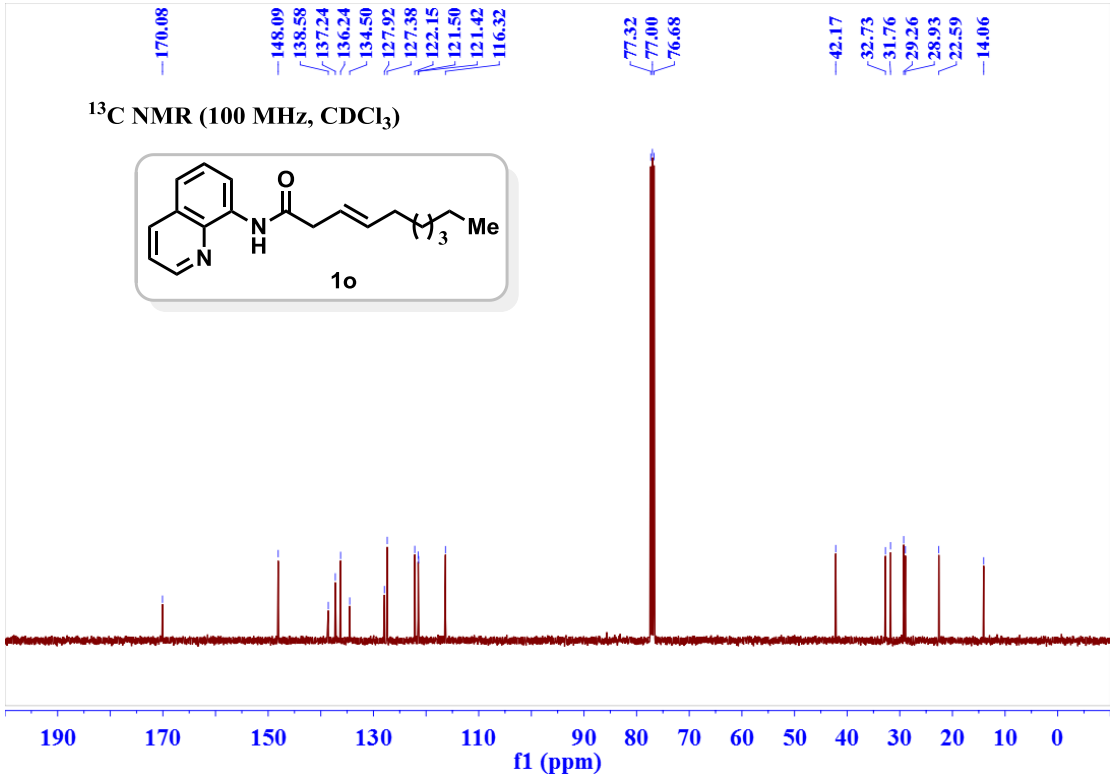
(*E*)-*N*-(quinolin-8-yl)trideca-3,12-dienamide (1l)



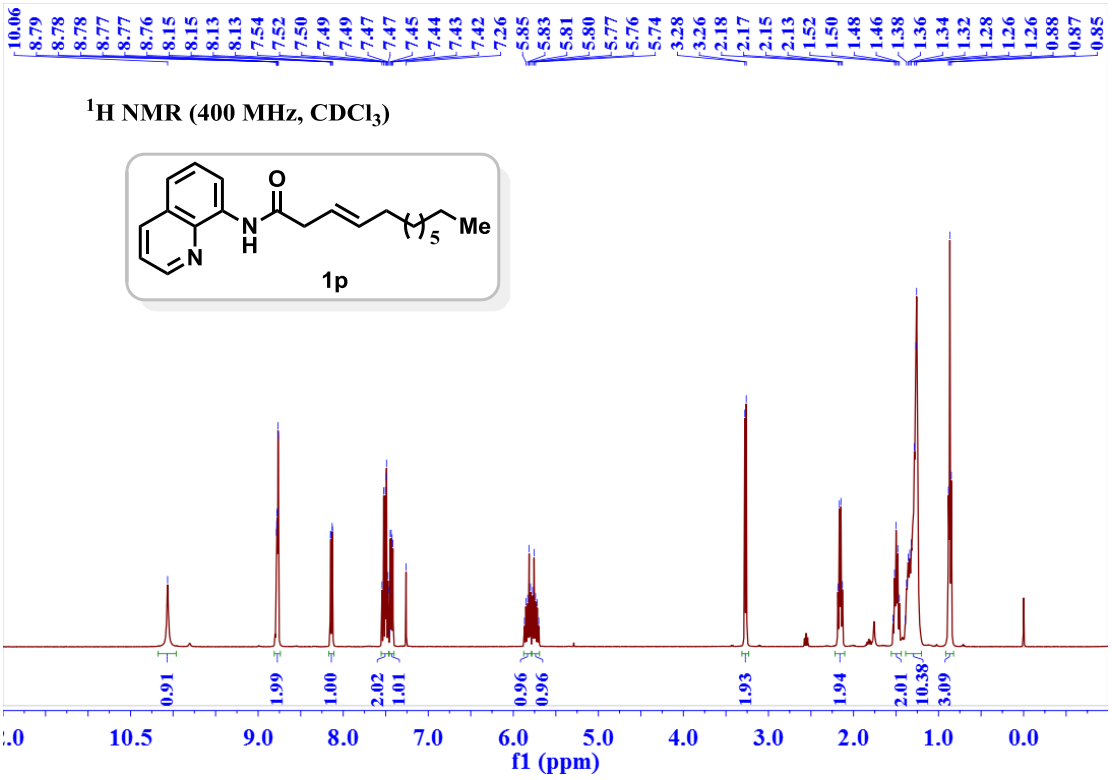


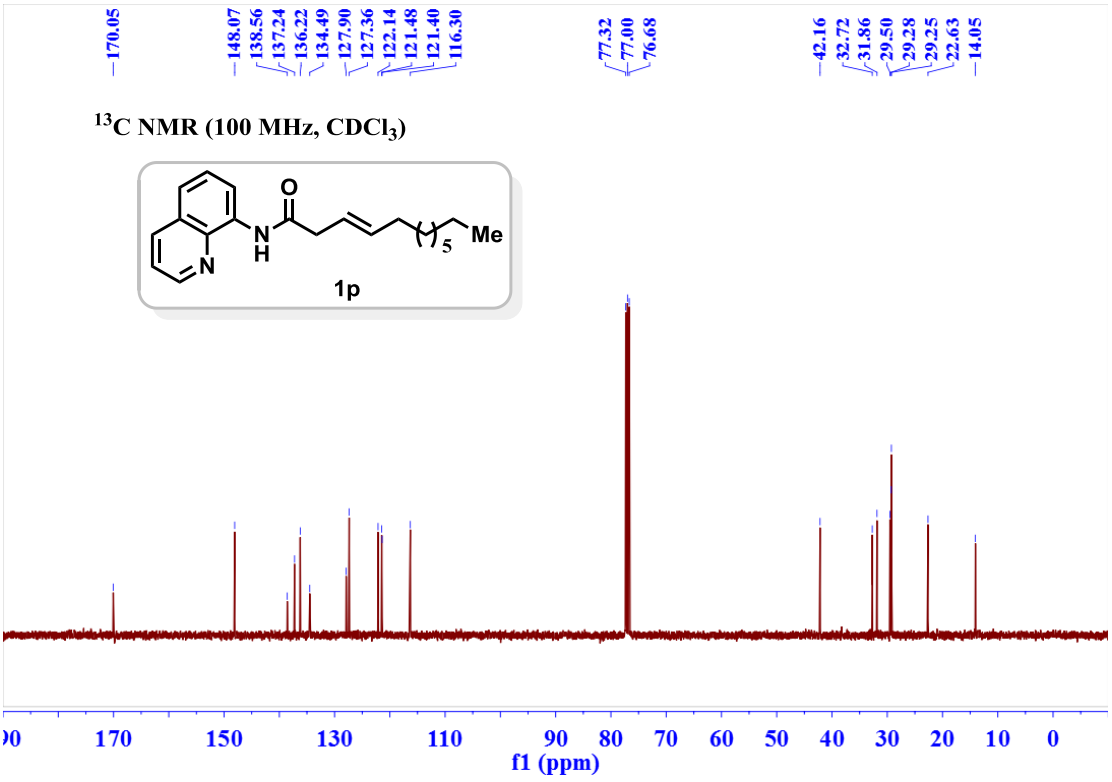
(*E*)-*N*-(quinolin-8-yl)dec-3-enamide (1o)



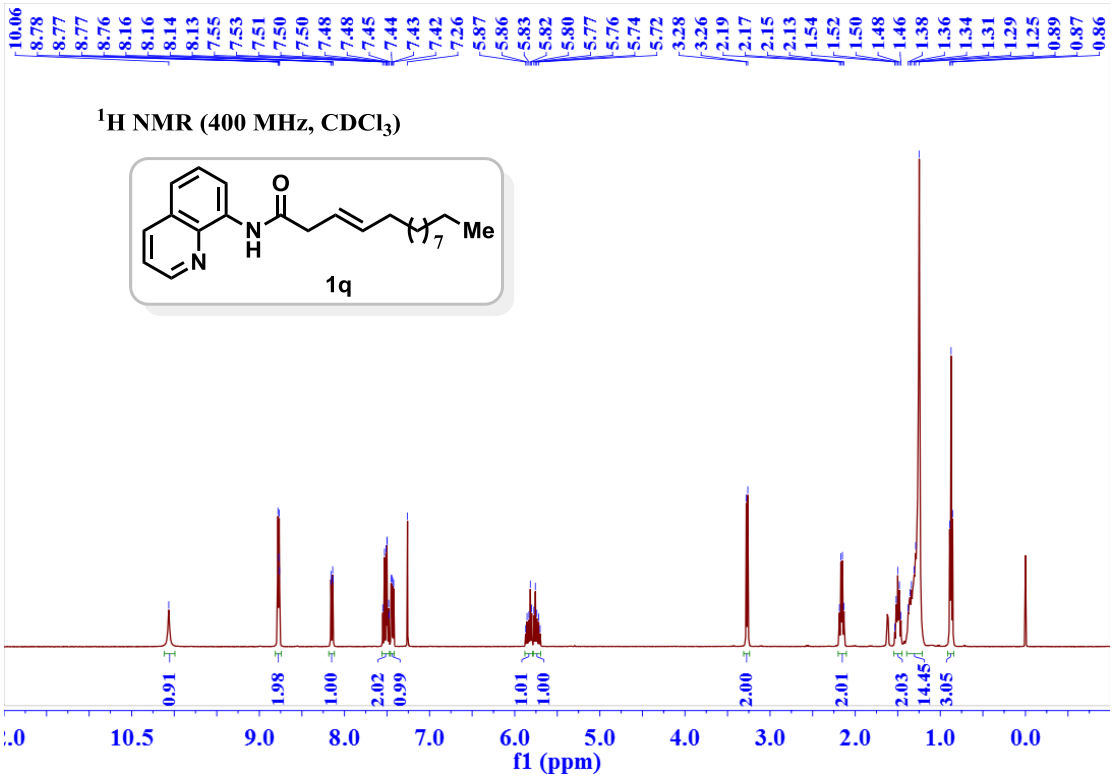


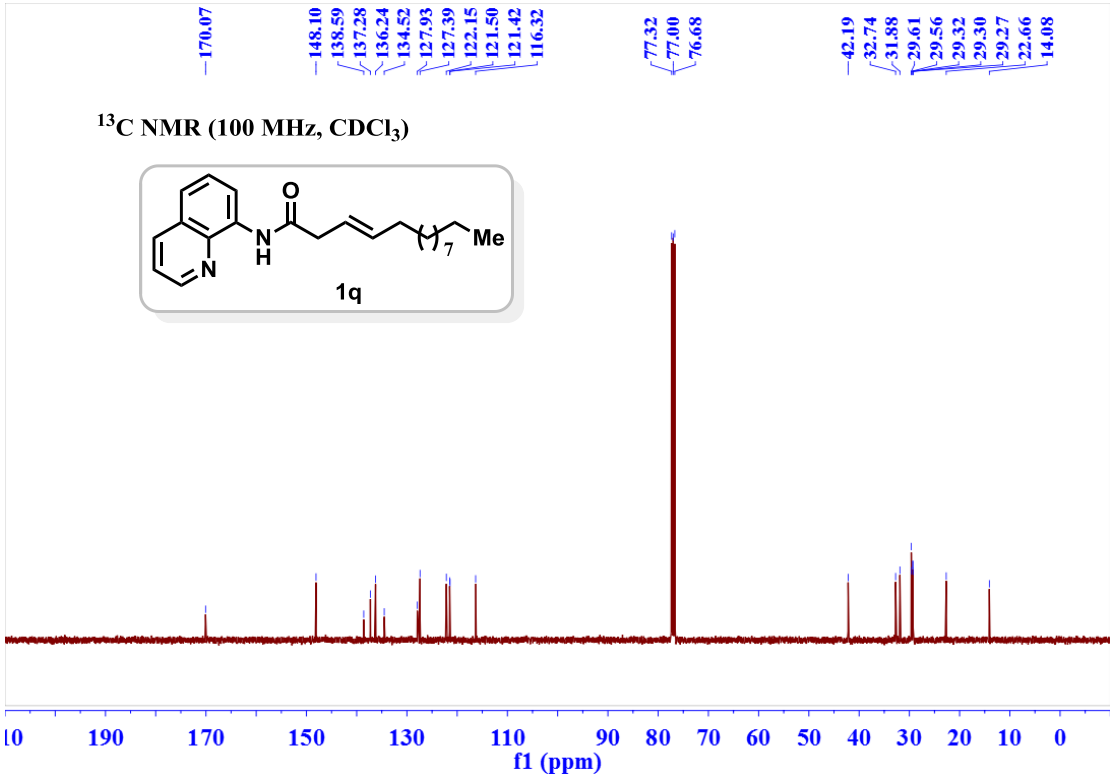
(*E*)-*N*-(quinolin-8-yl)dodec-3-enamide (1p)



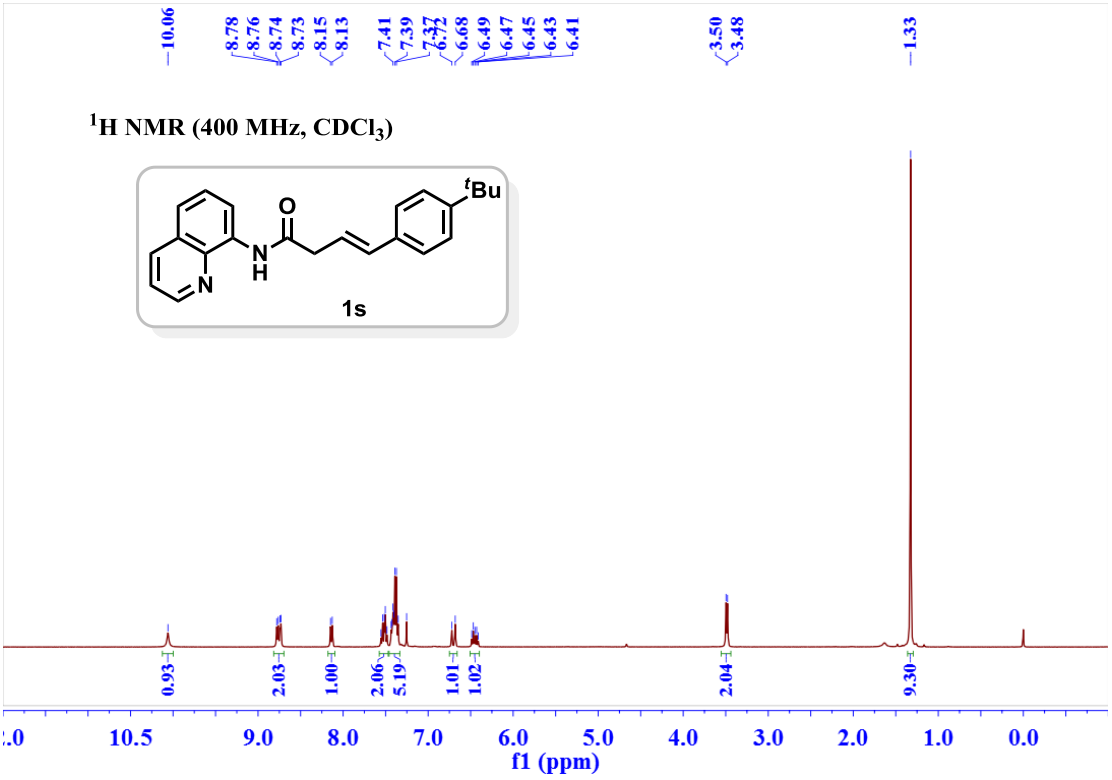


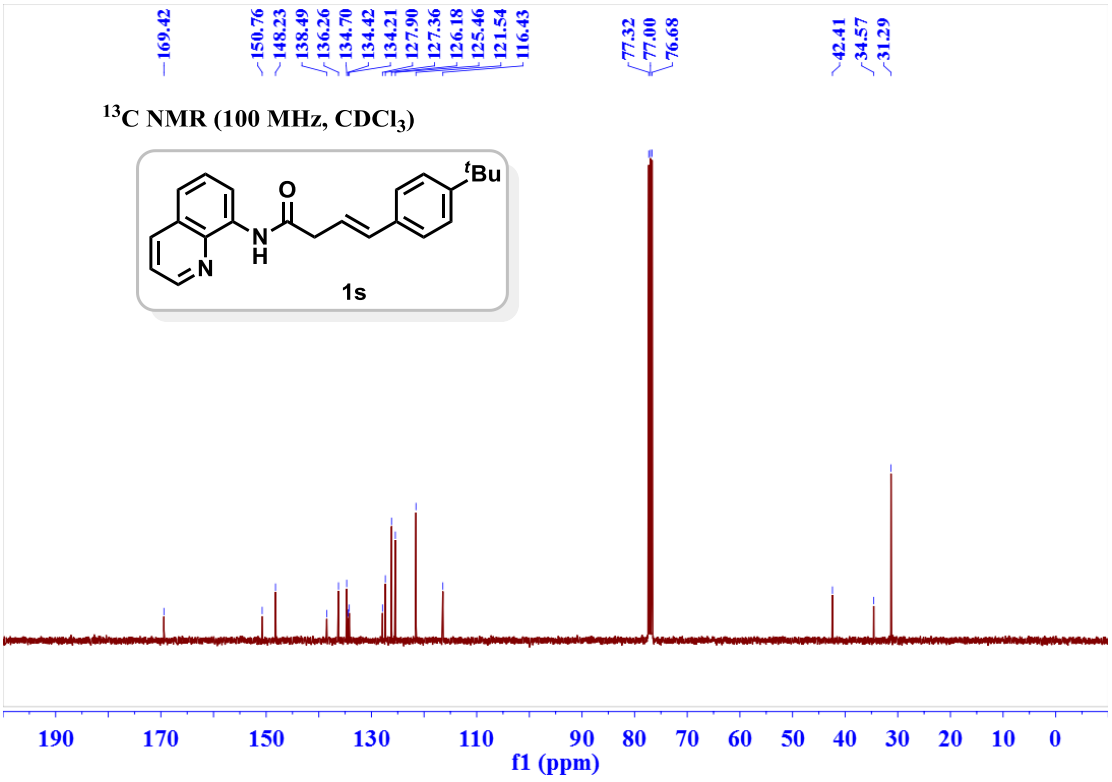
(*E*)-*N*-(quinolin-8-yl)tetradec-3-enamide (1q)



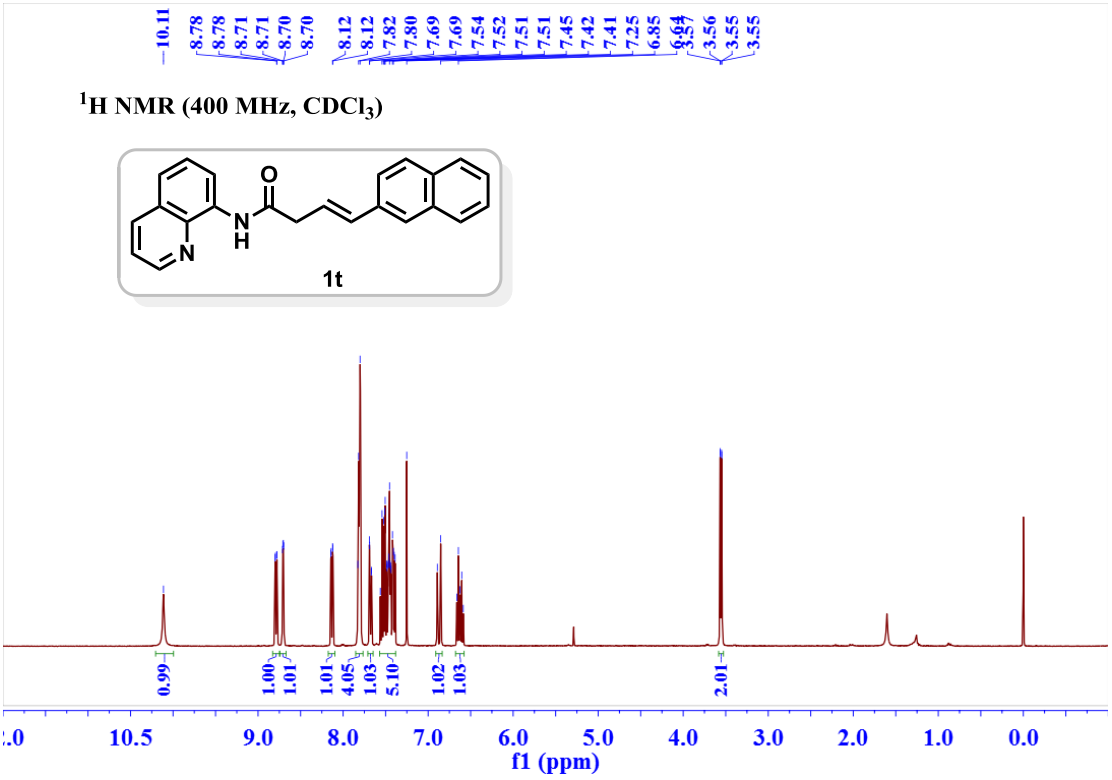


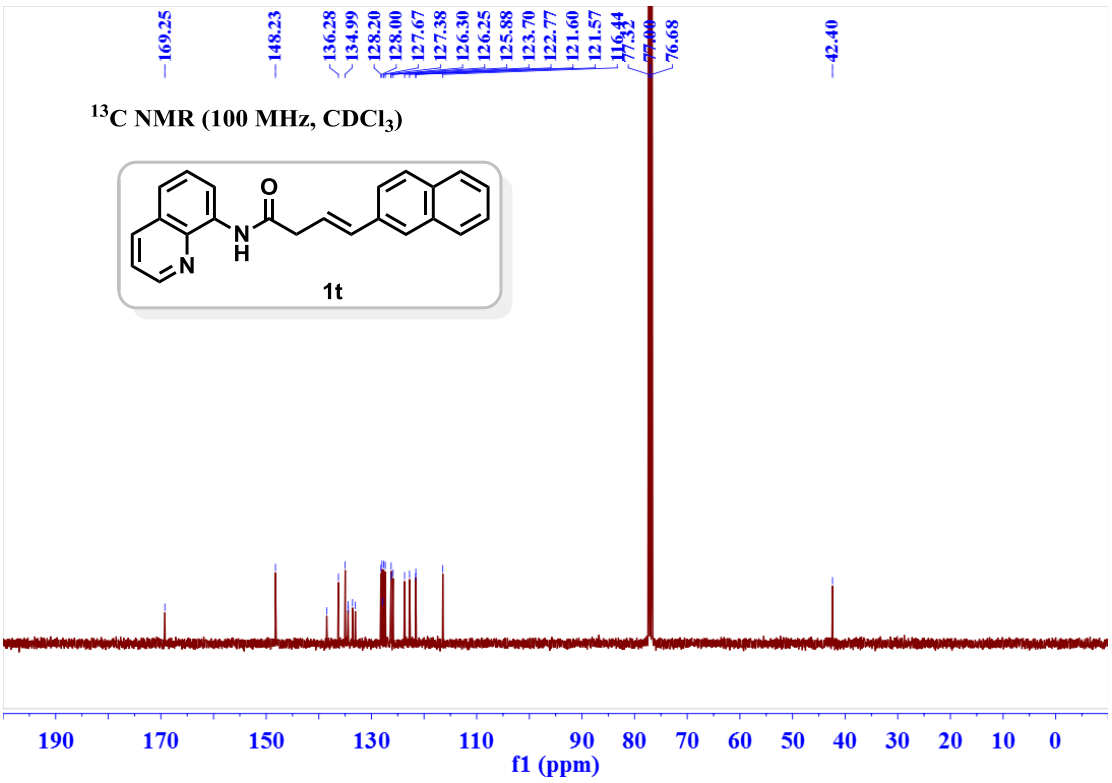
(*E*)-4-(4-(*tert*-butyl)phenyl)-*N*-(quinolin-8-yl)but-3-enamide (1s)



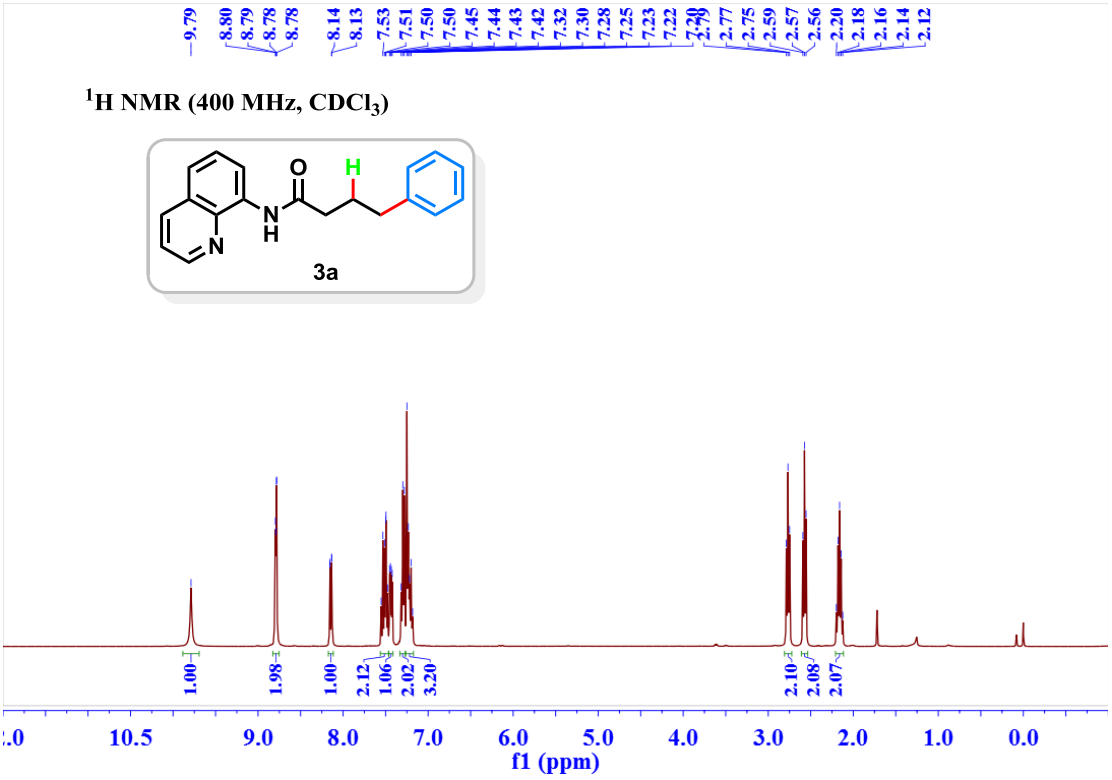


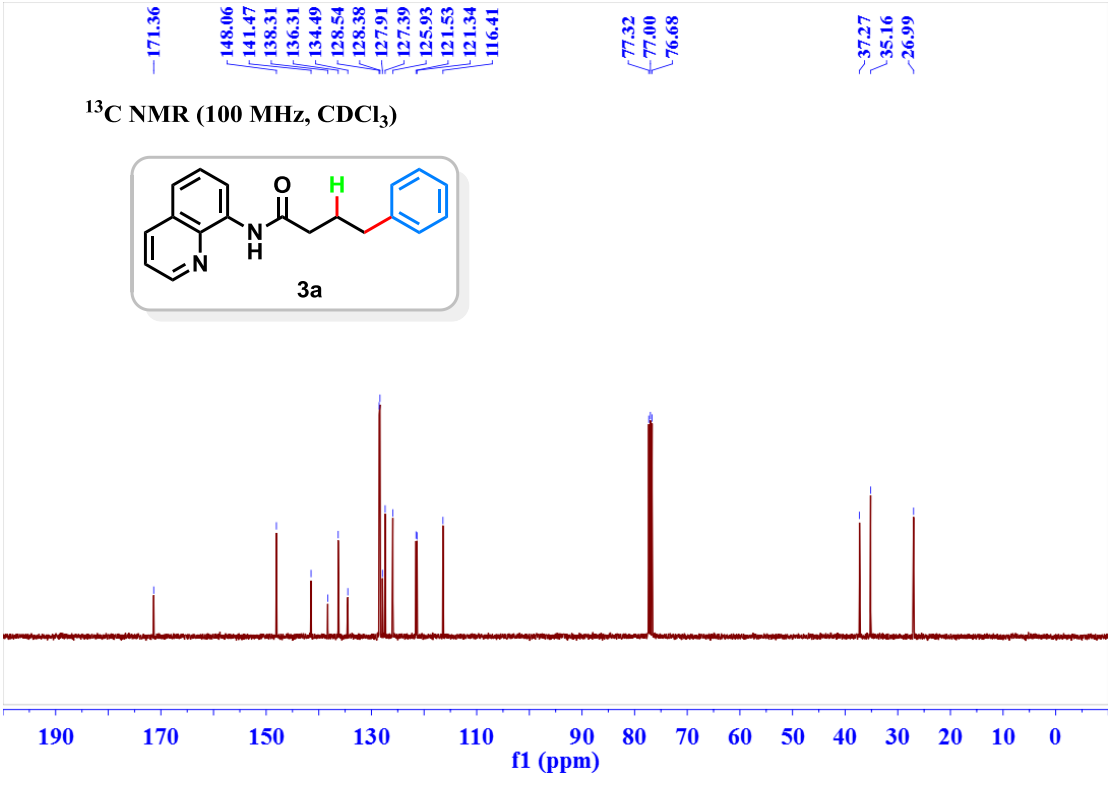
(*E*)-4-(naphthalen-2-yl)-*N*-(quinolin-8-yl)but-3-enamide (1t)



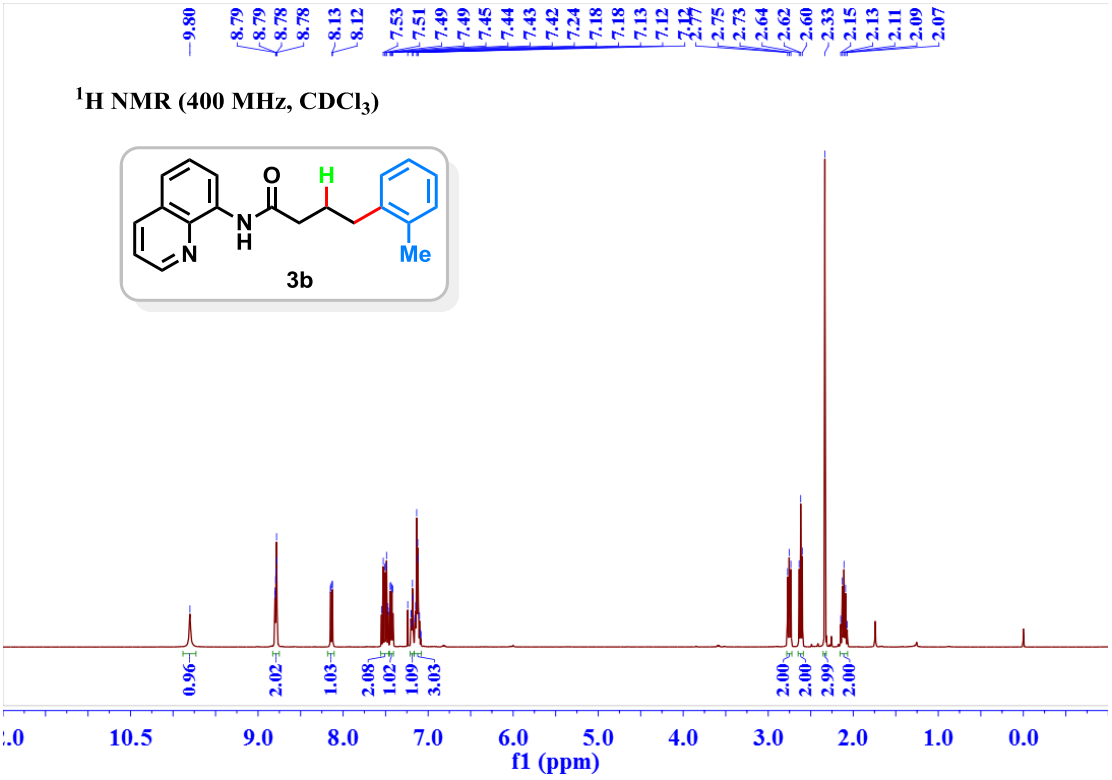


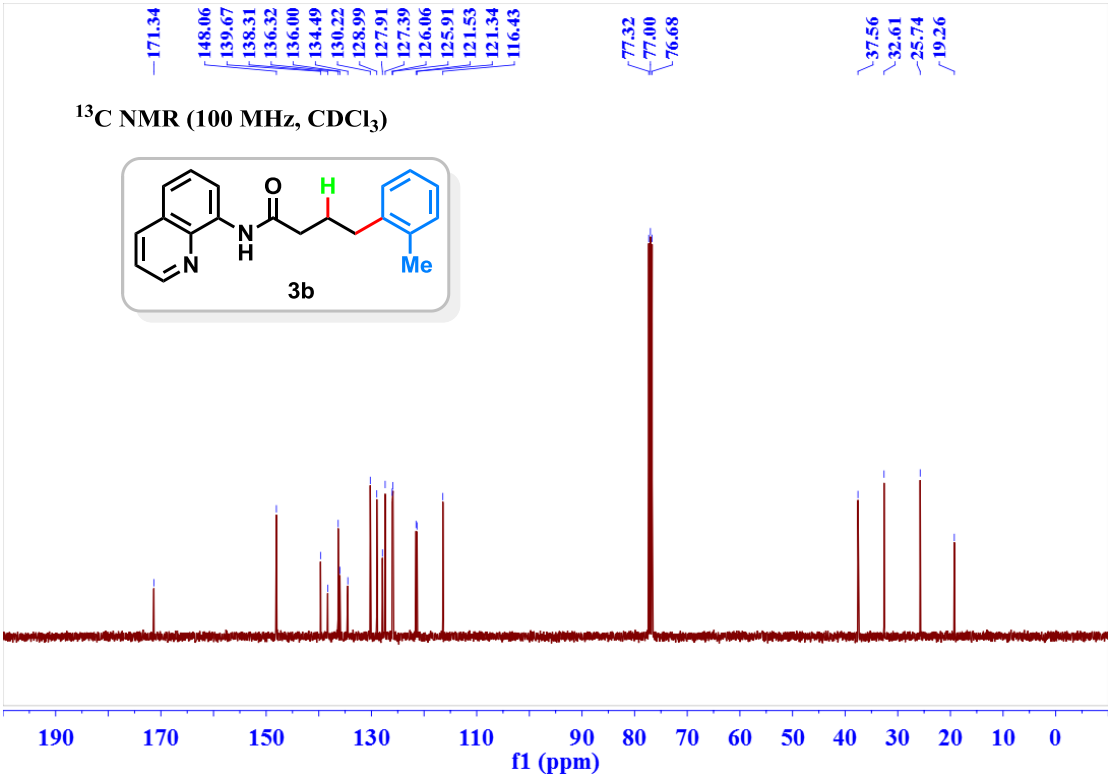
4-phenyl-*N*-(quinolin-8-yl)butanamide (3a)



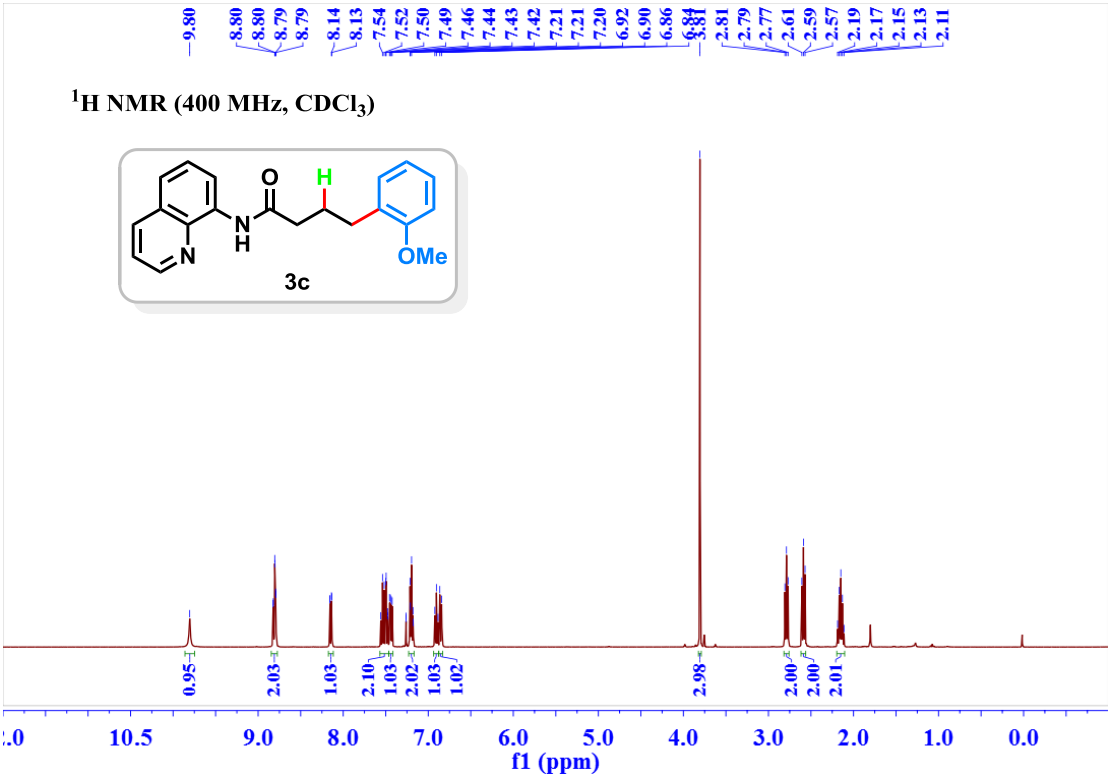


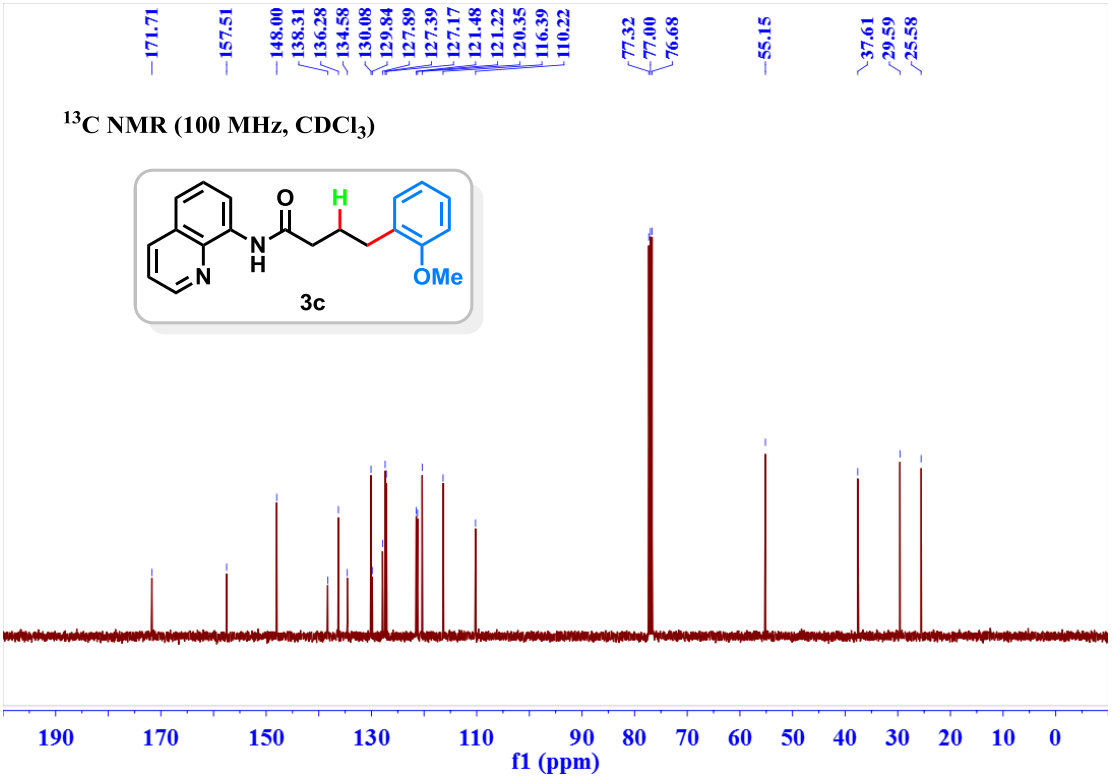
N-(quinolin-8-yl)-4-(o-tolyl)butanamide (3b)



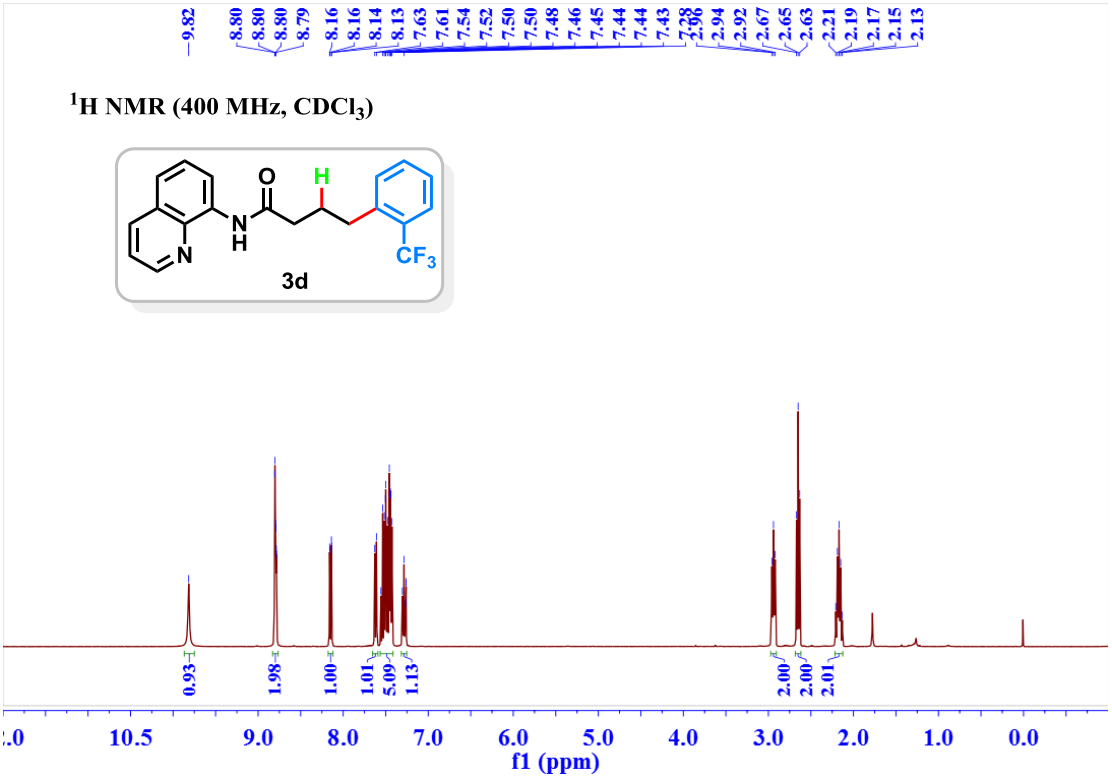


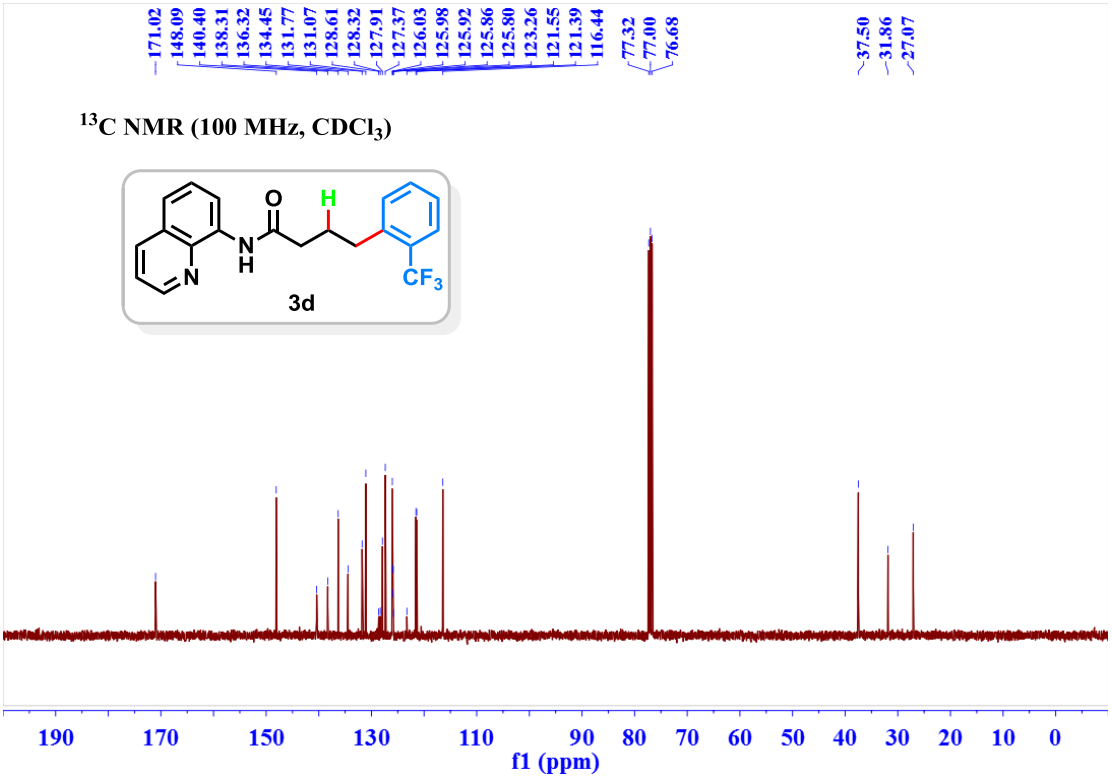
4-(2-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3c)

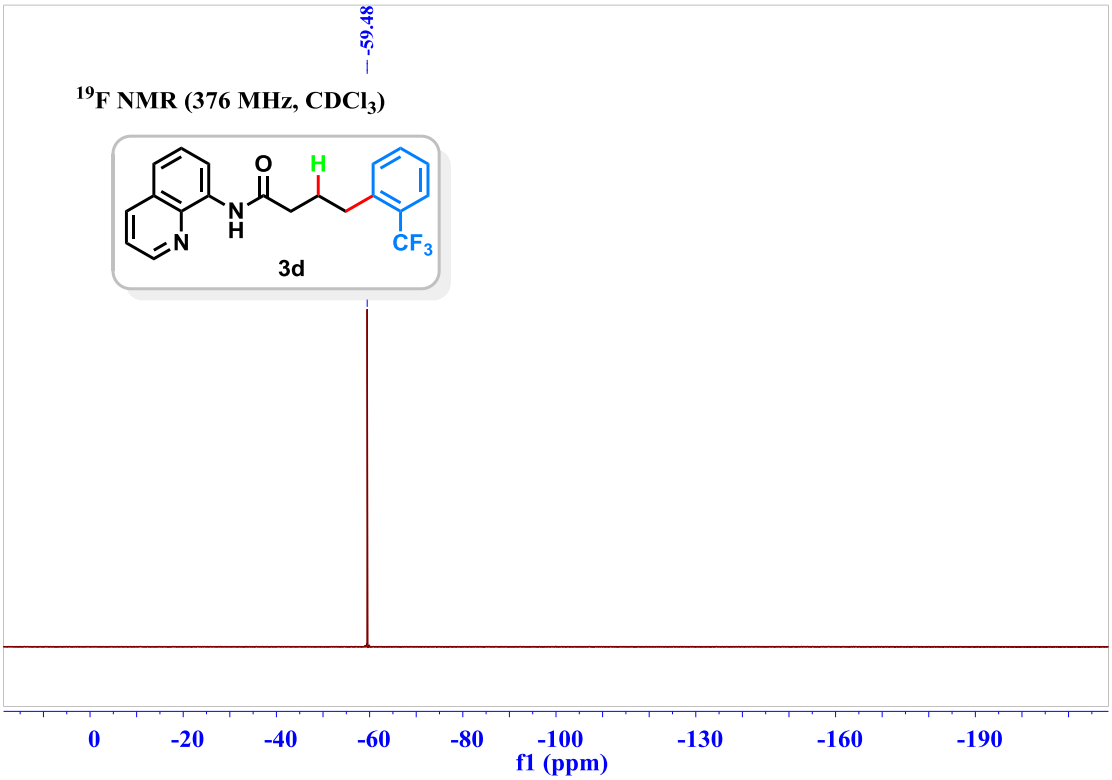




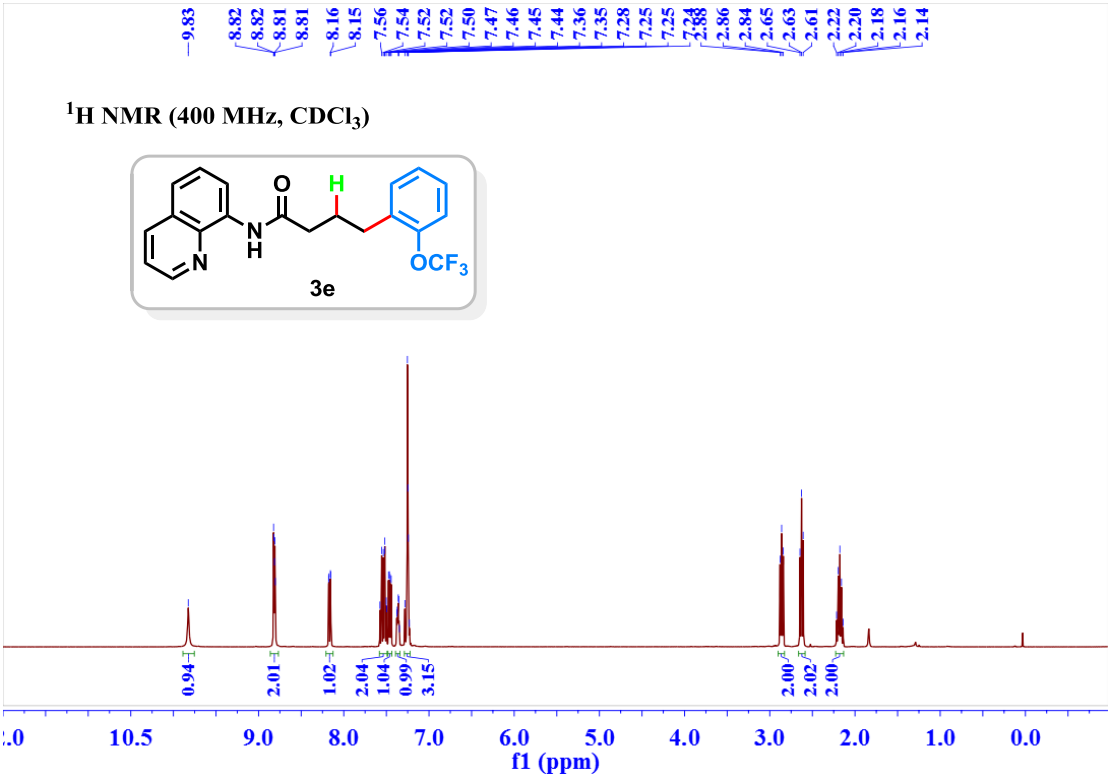
N-(quinolin-8-yl)-4-(2-(trifluoromethyl)phenyl)butanamide (3d)

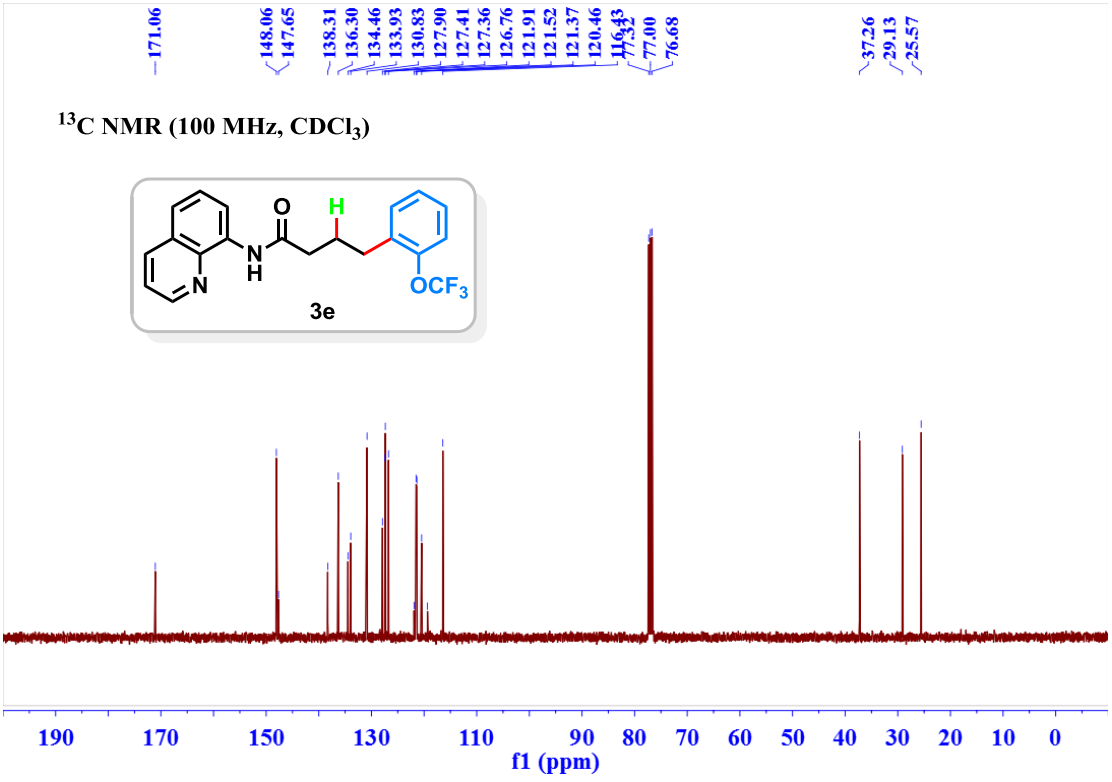


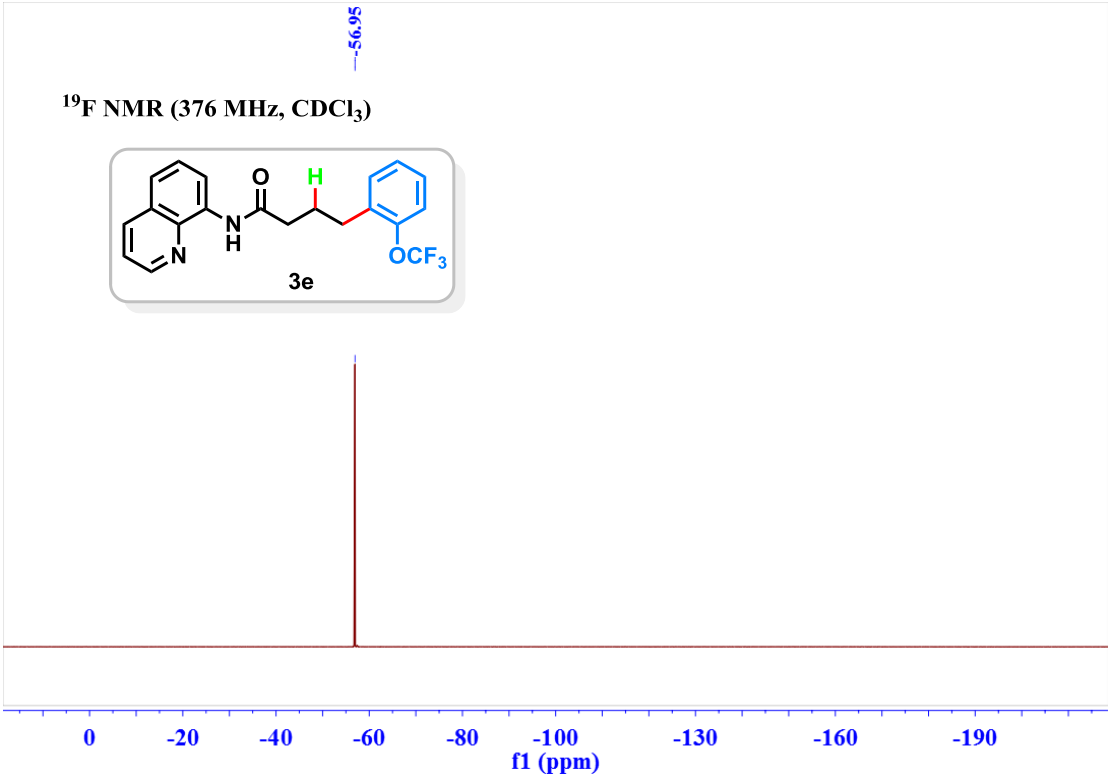



-59.48
19F NMR (376 MHz, CDCl3)
O
H
N
H
N
CF3
3d
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

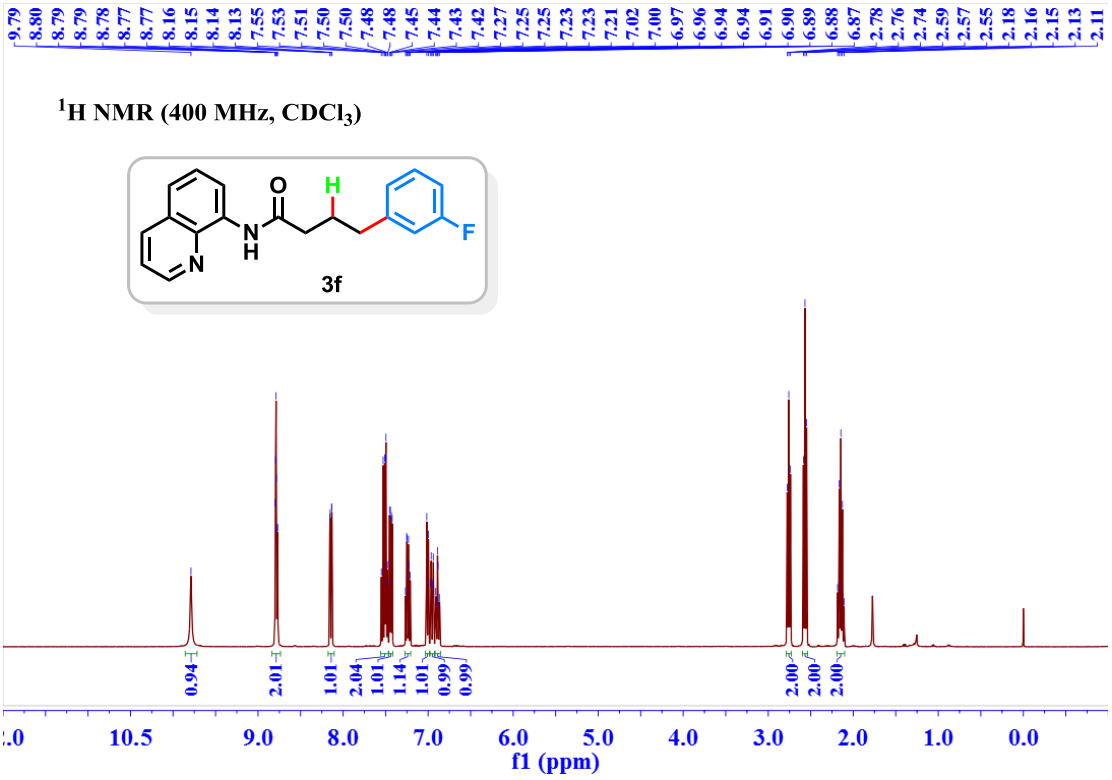
N-(quinolin-8-yl)-4-(2-(trifluoromethoxy)phenyl)butanamide (3e)

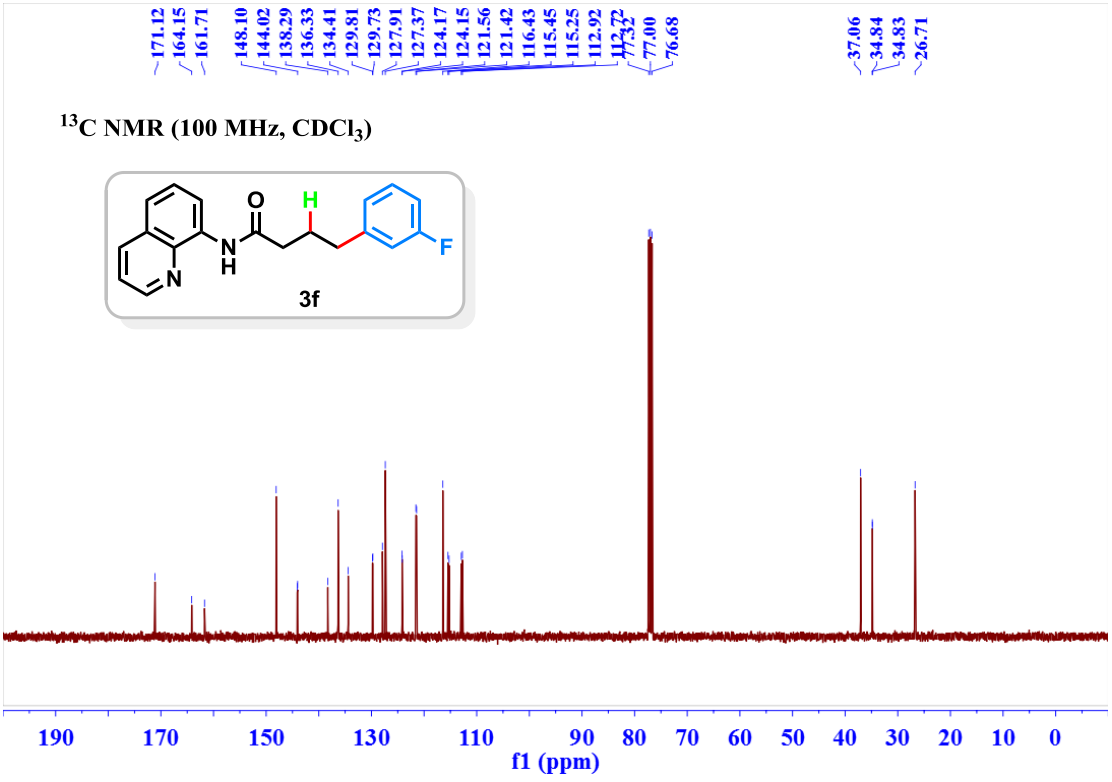




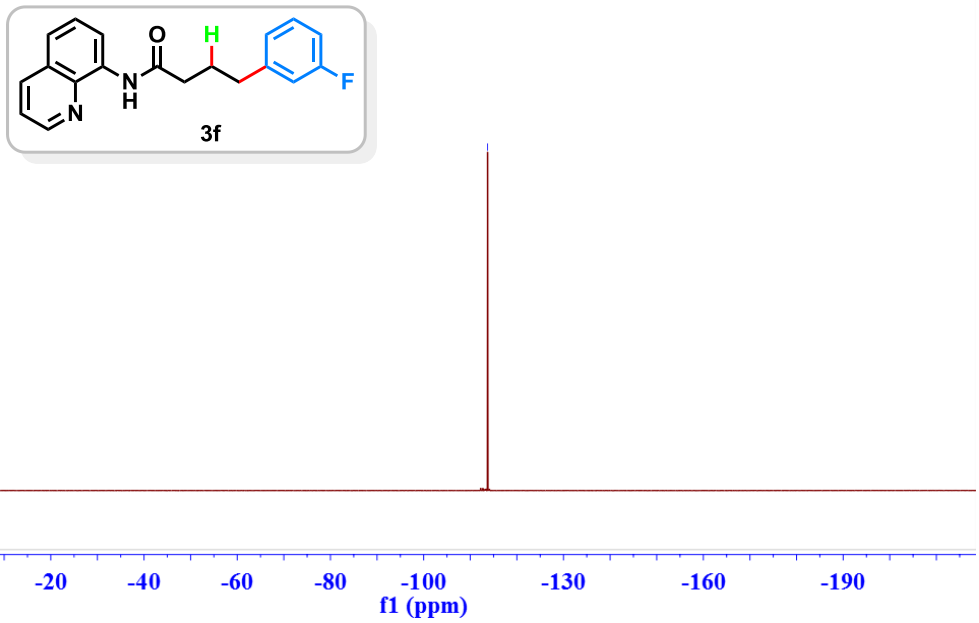

-56.95
19F NMR (376 MHz, CDCl3)
O
H
N
H
N
OCF3
3e
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

4-(3-fluorophenyl)-*N*-(quinolin-8-yl)butanamide (3f)

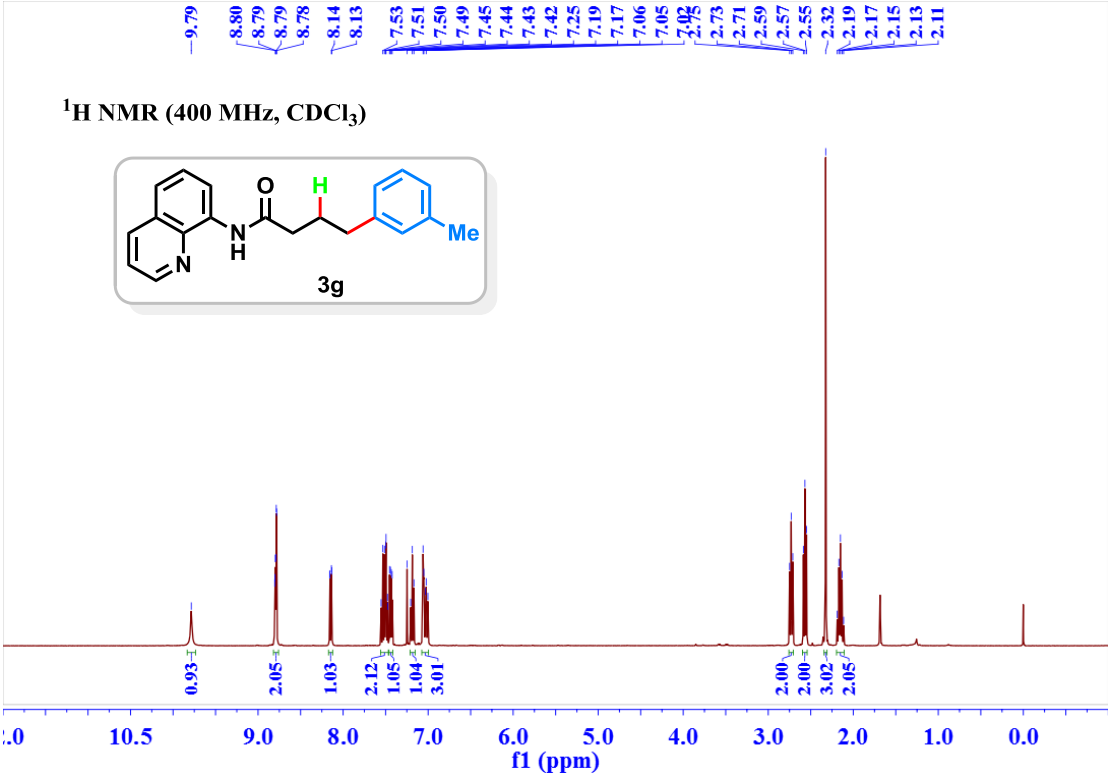


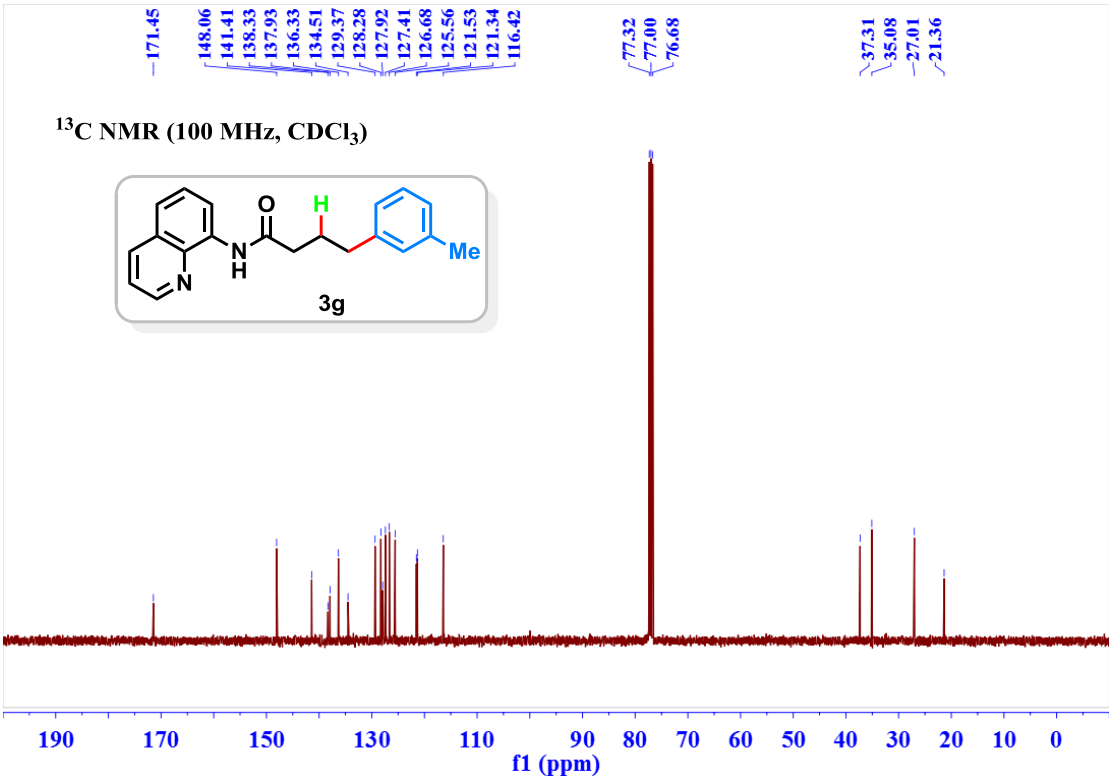


^{19}F NMR (376 MHz, $CDCl_3$)

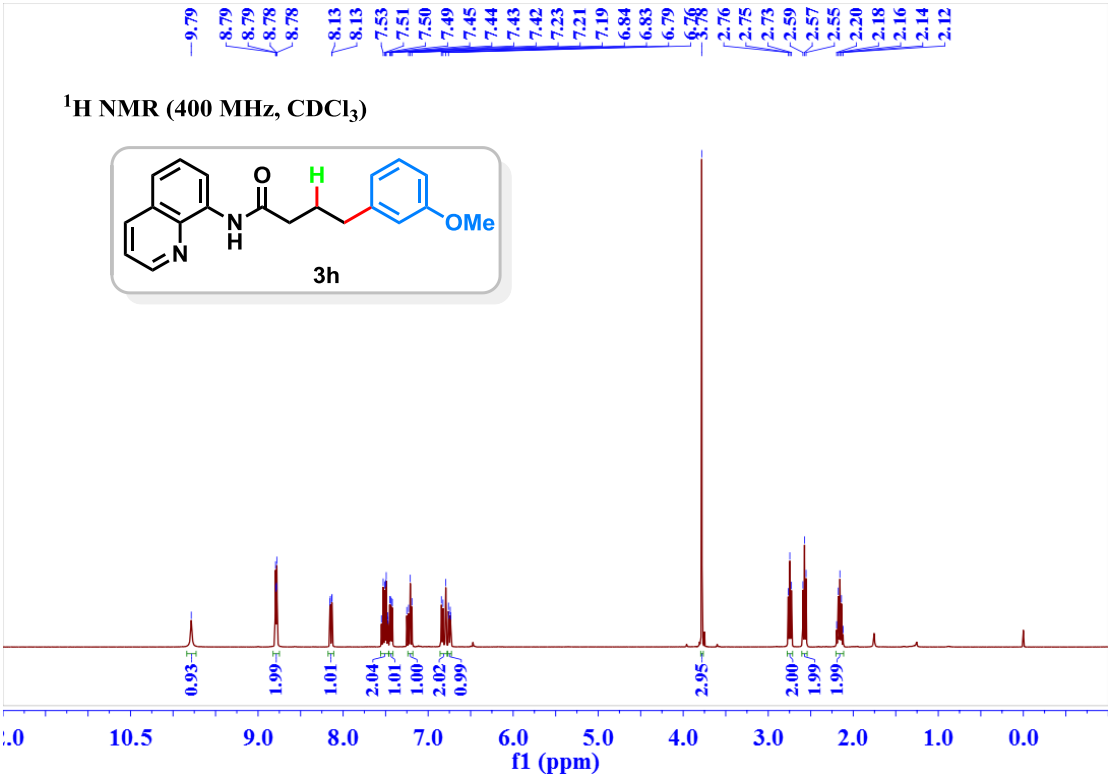


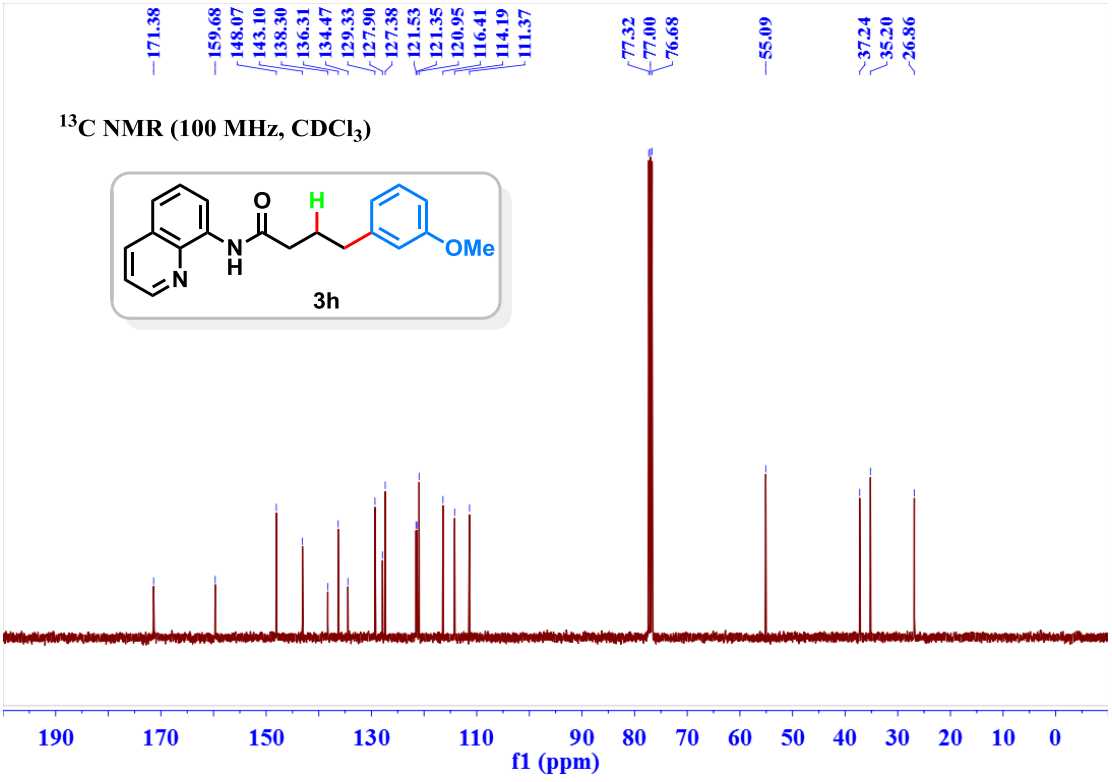
N-(quinolin-8-yl)-4-(m-tolyl)butanamide (3g)



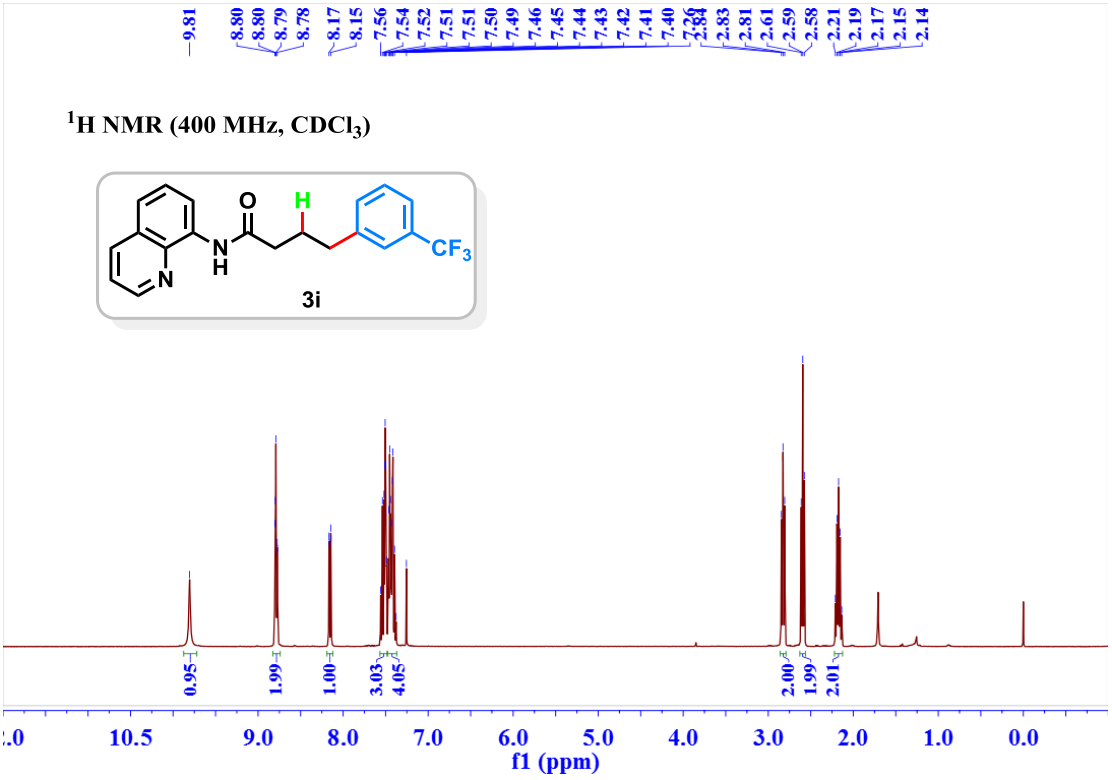


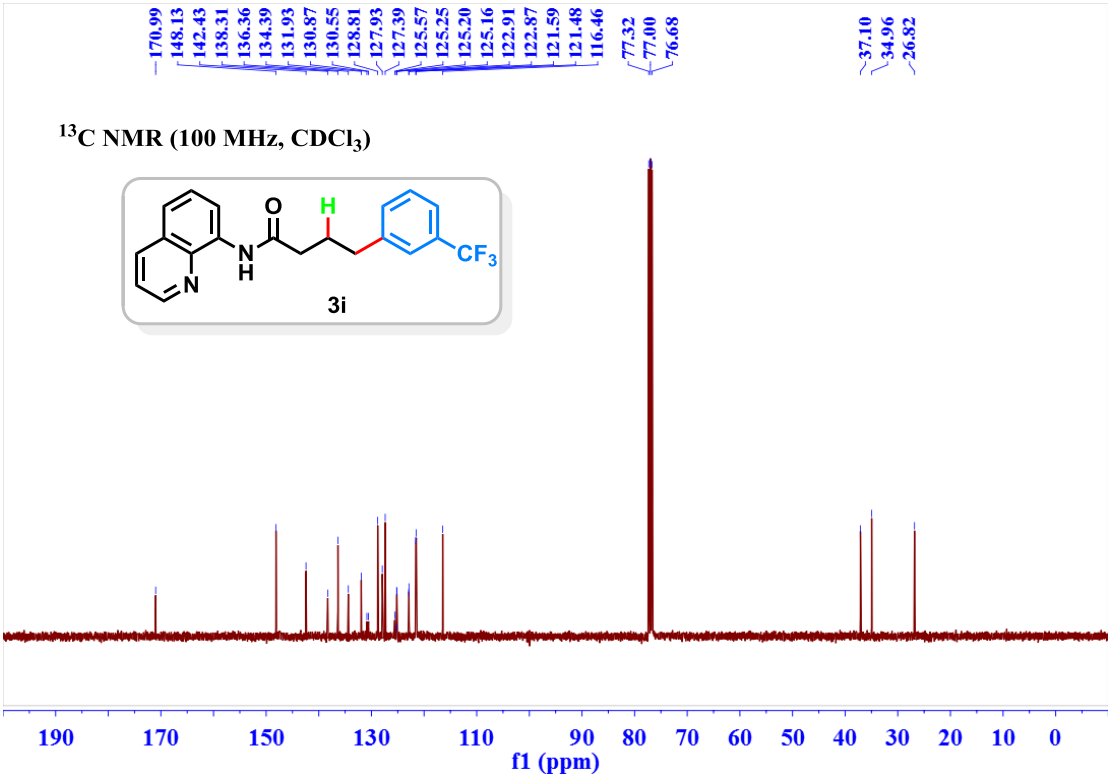
4-(3-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3h)

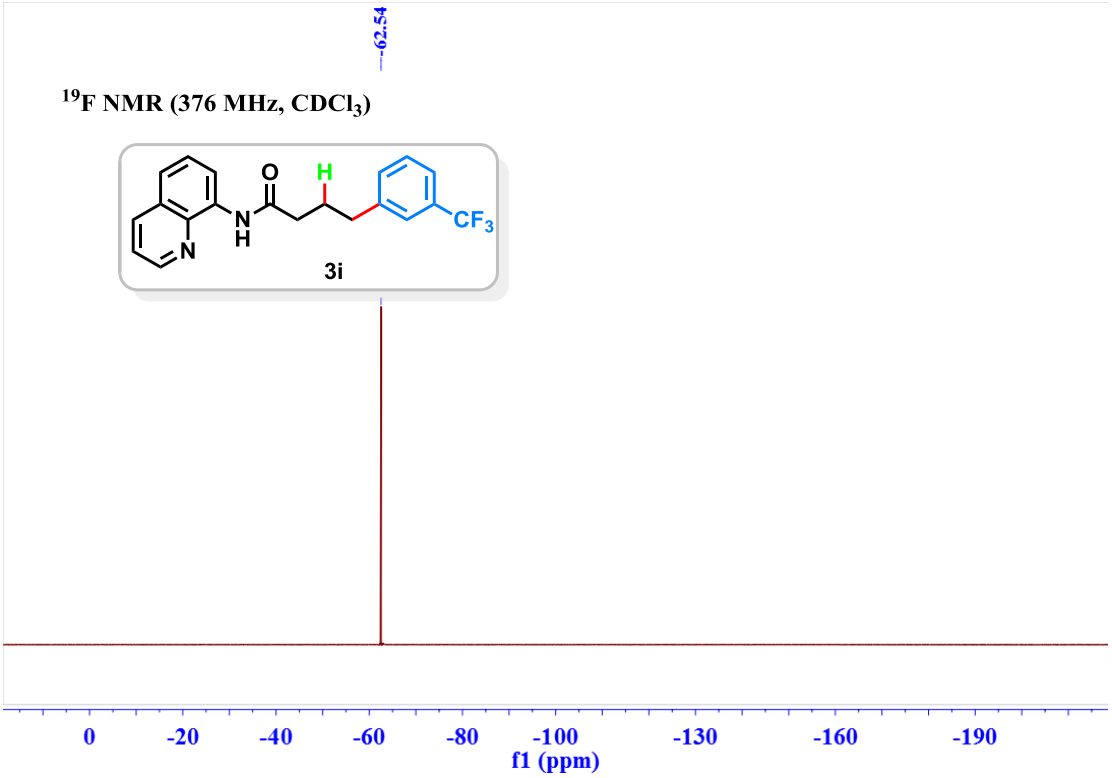




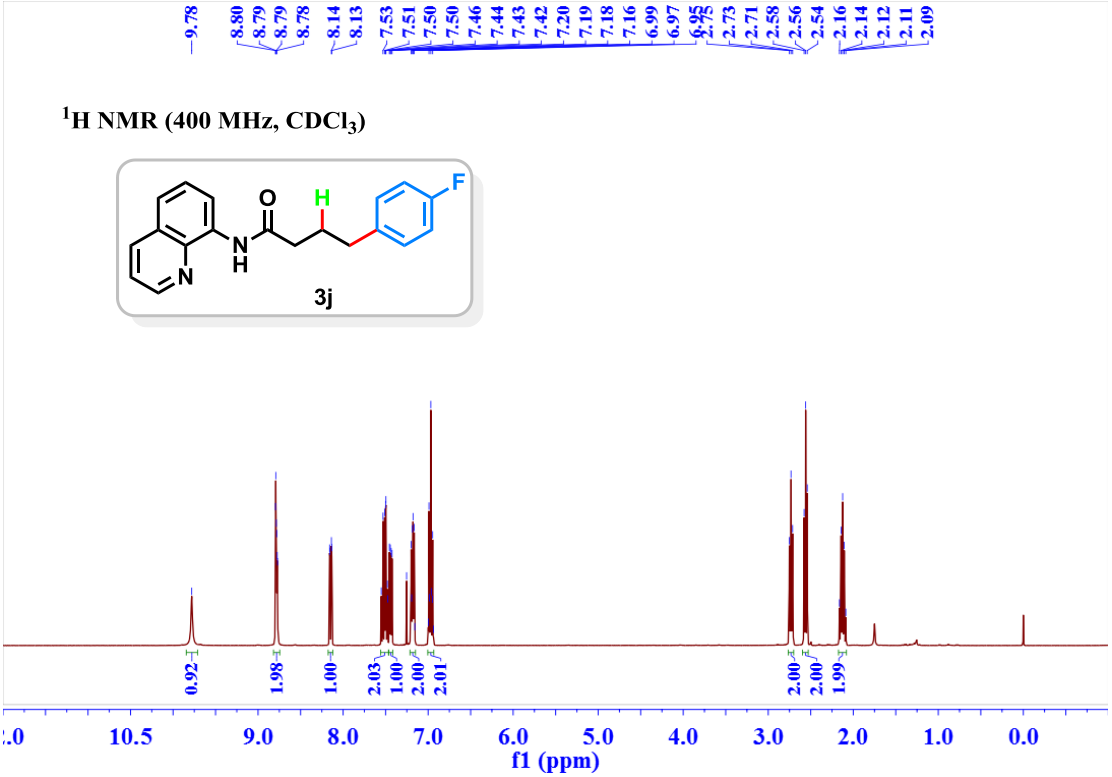
N-(quinolin-8-yl)-4-(3-(trifluoromethyl)phenyl)butanamide (3i)

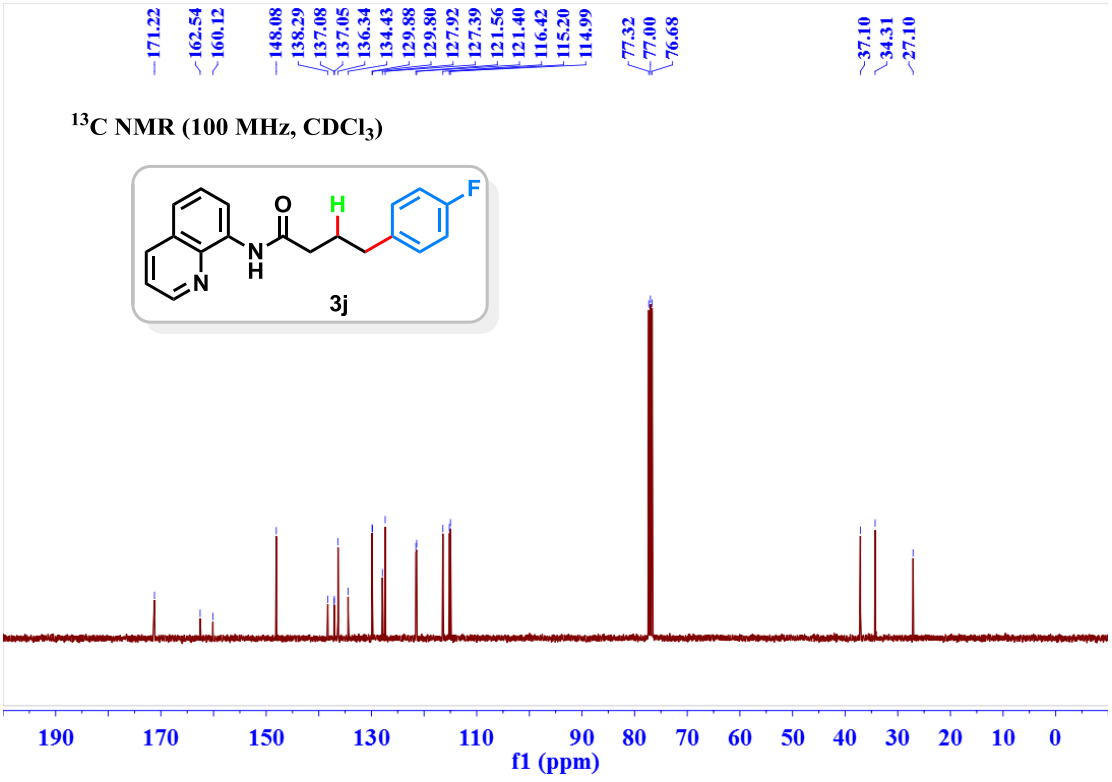




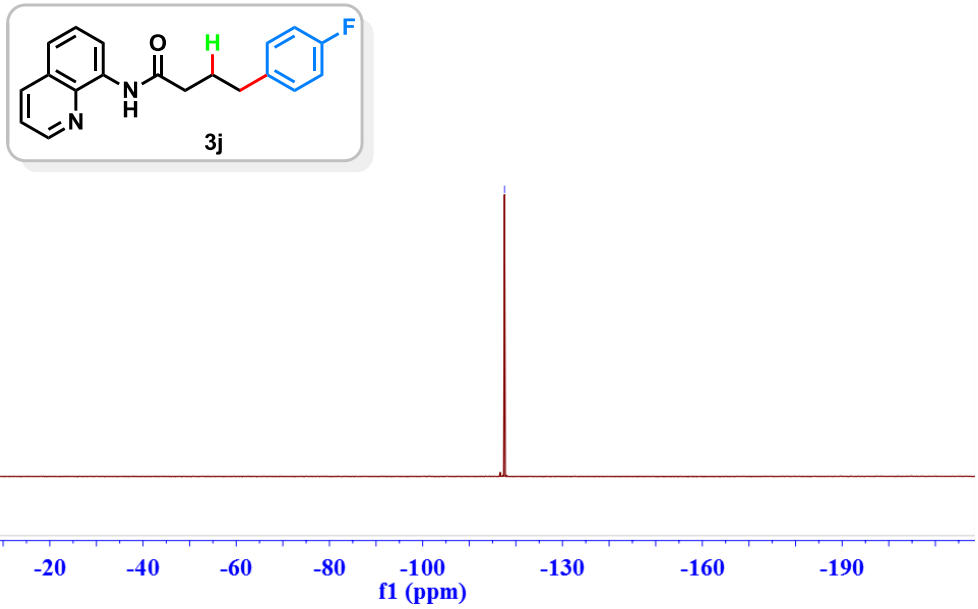

-62.54
19F NMR (376 MHz, CDCl3)
O
H
N
H
N
CF3
3i
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

4-(4-fluorophenyl)-*N*-(quinolin-8-yl)butanamide (3j)

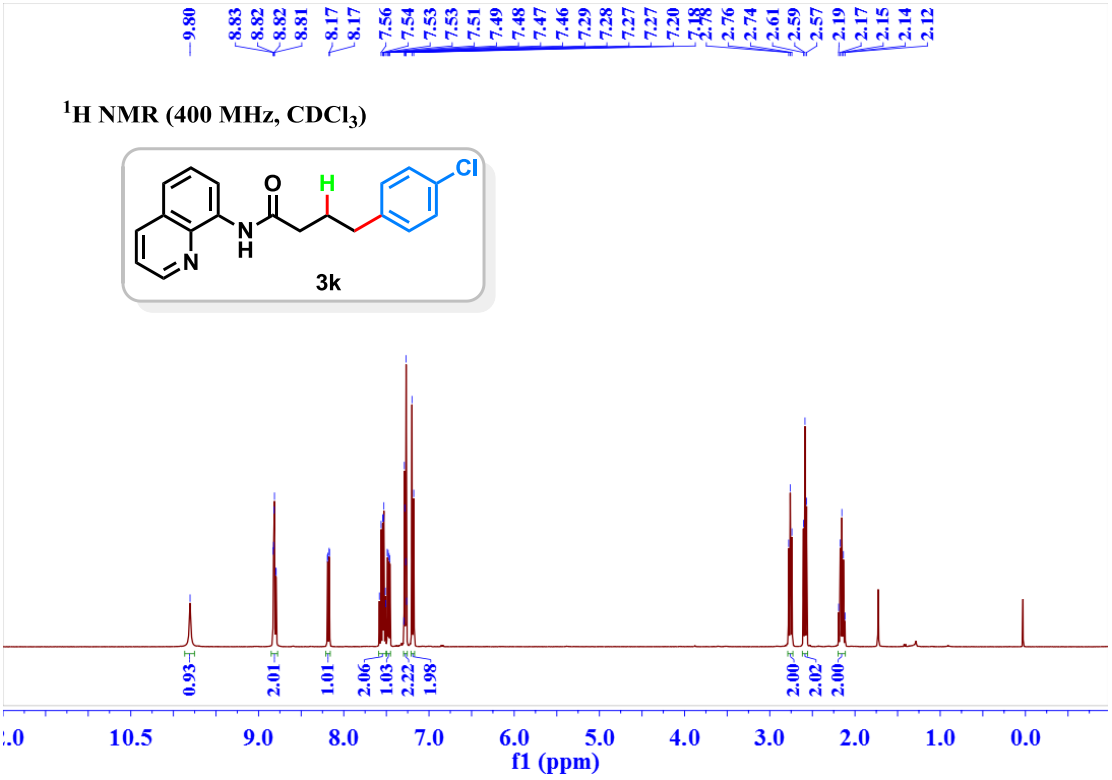


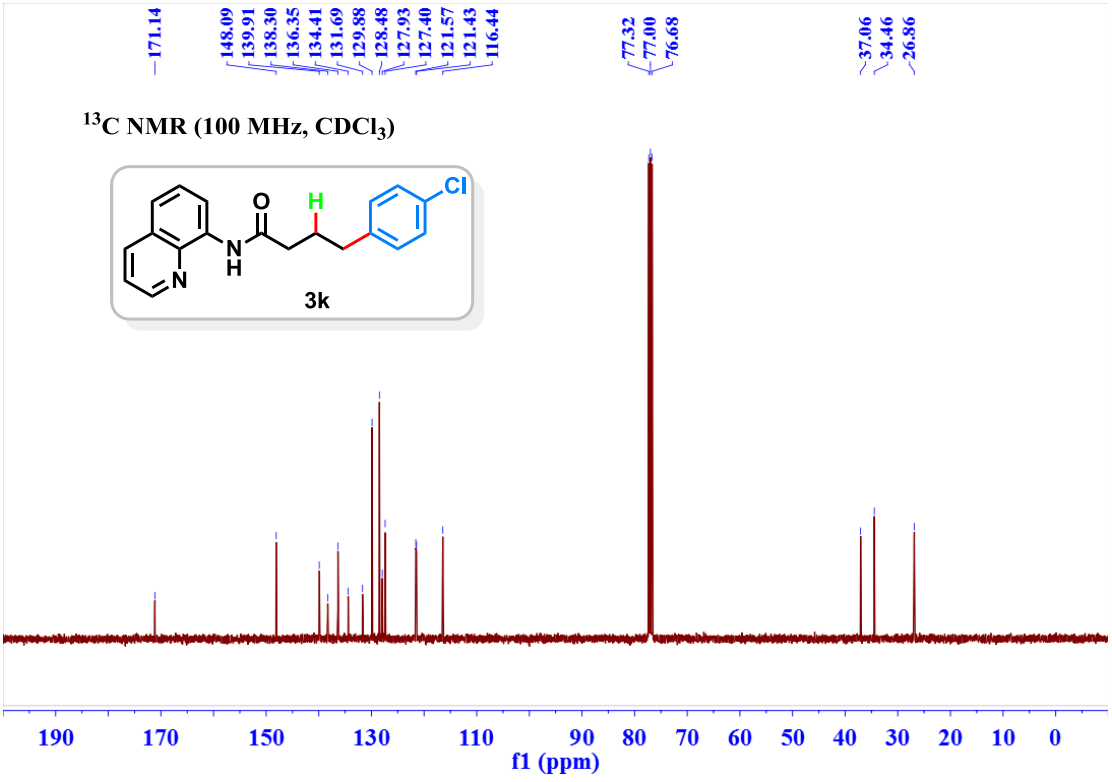


^{19}F NMR (376 MHz, $CDCl_3$)

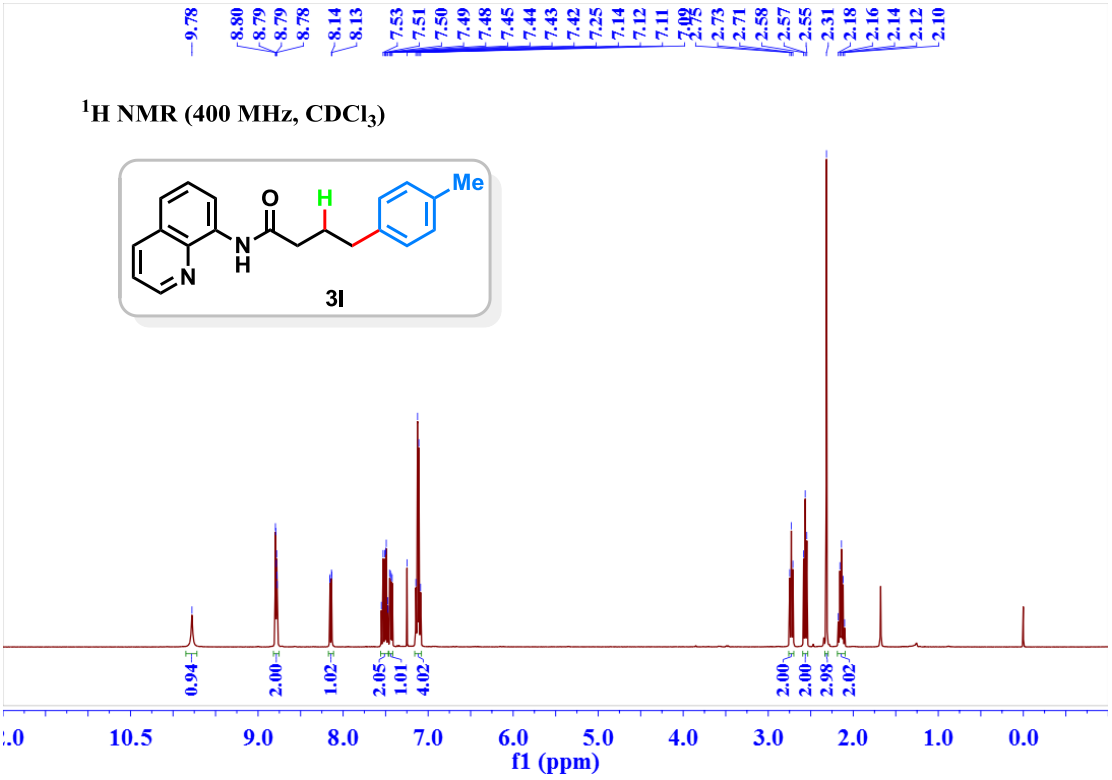


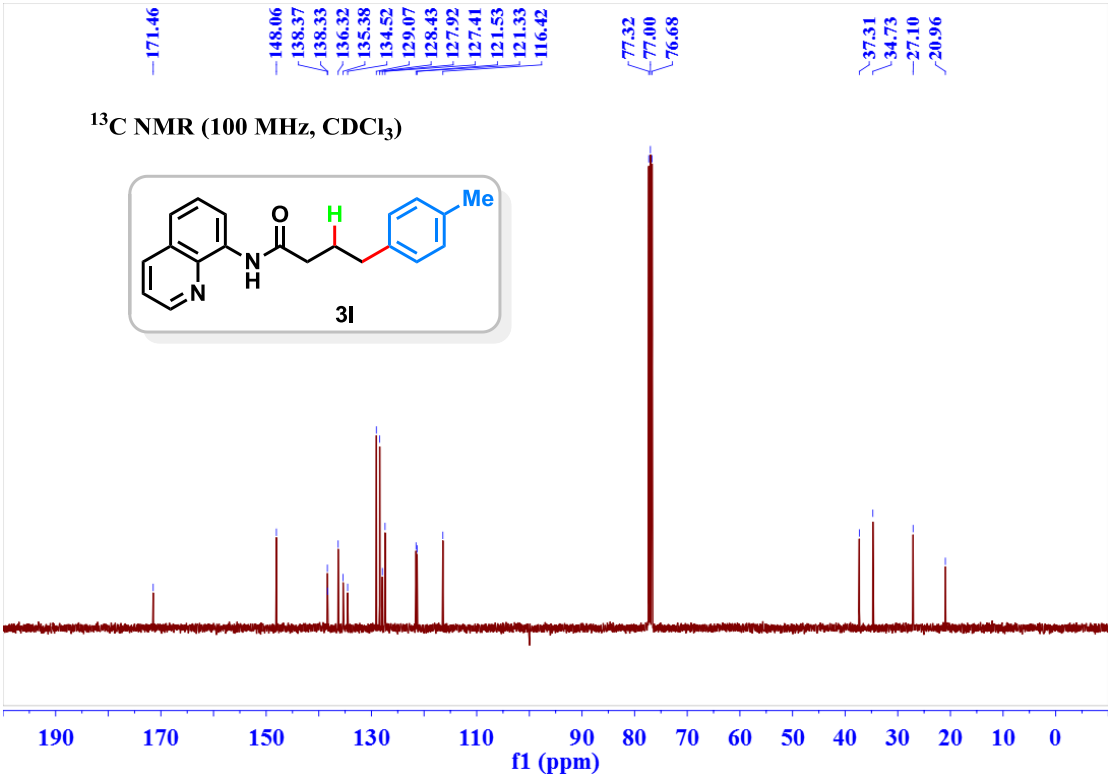
4-(4-chlorophenyl)-*N*-(quinolin-8-yl)butanamide (3k)



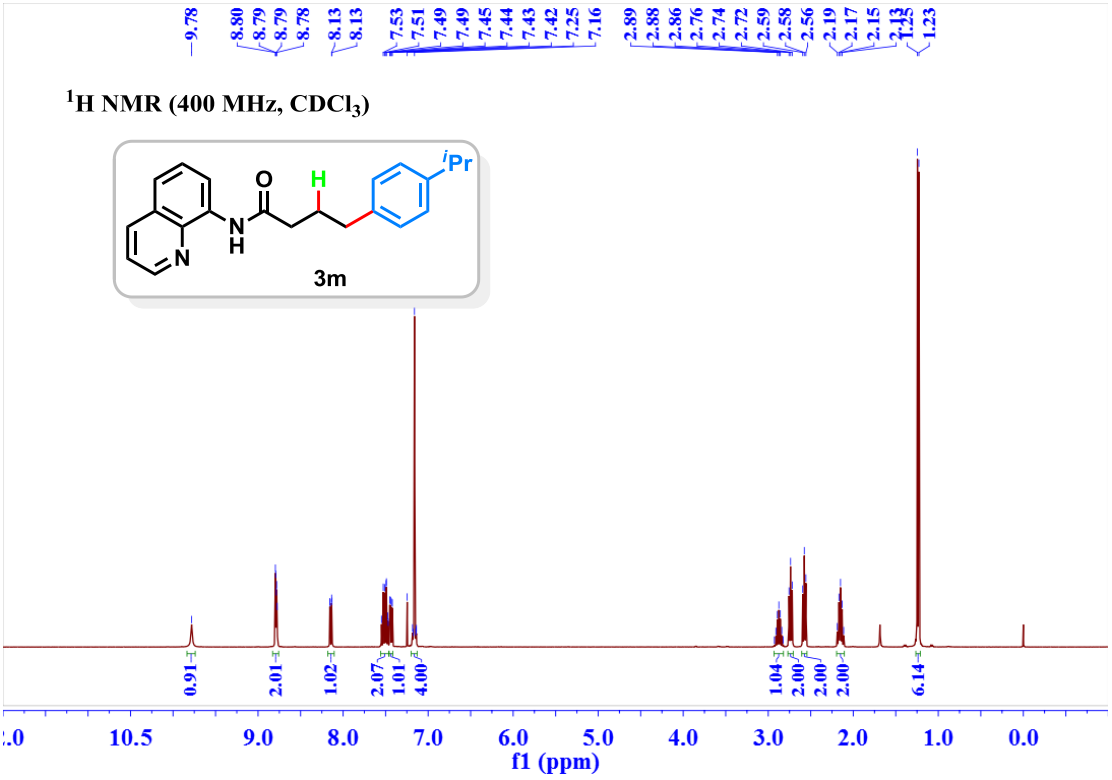


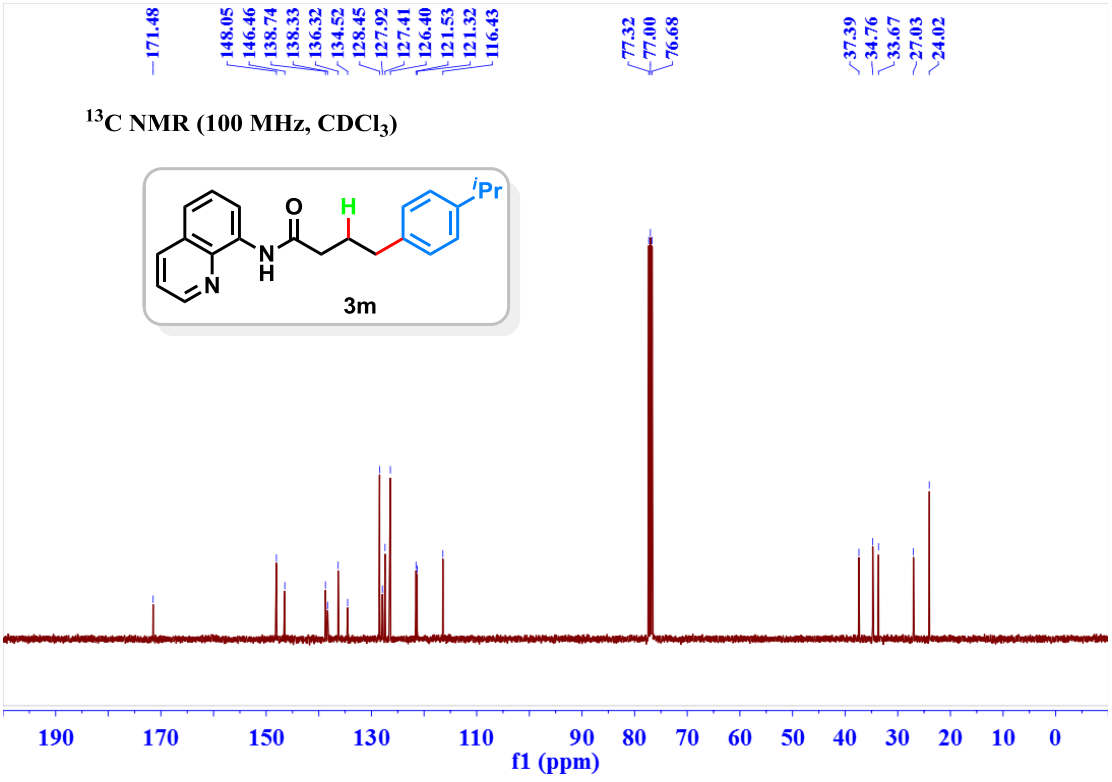
N-(quinolin-8-yl)-4-(p-tolyl)butanamide (3l)



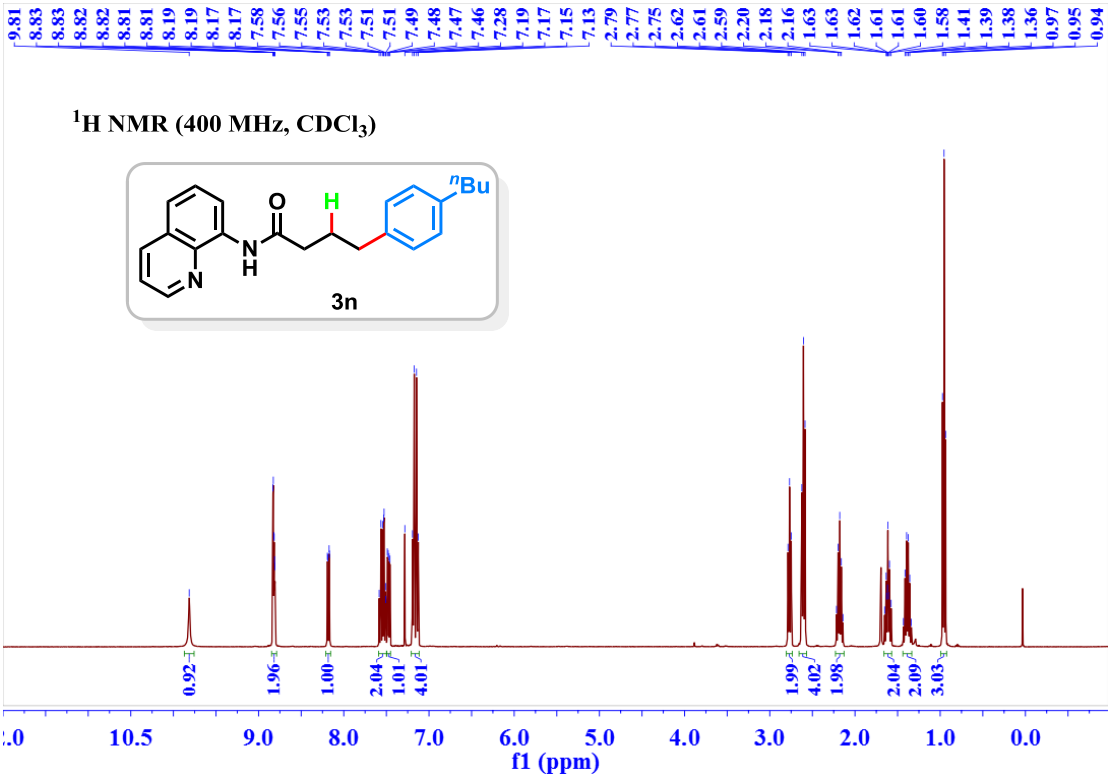


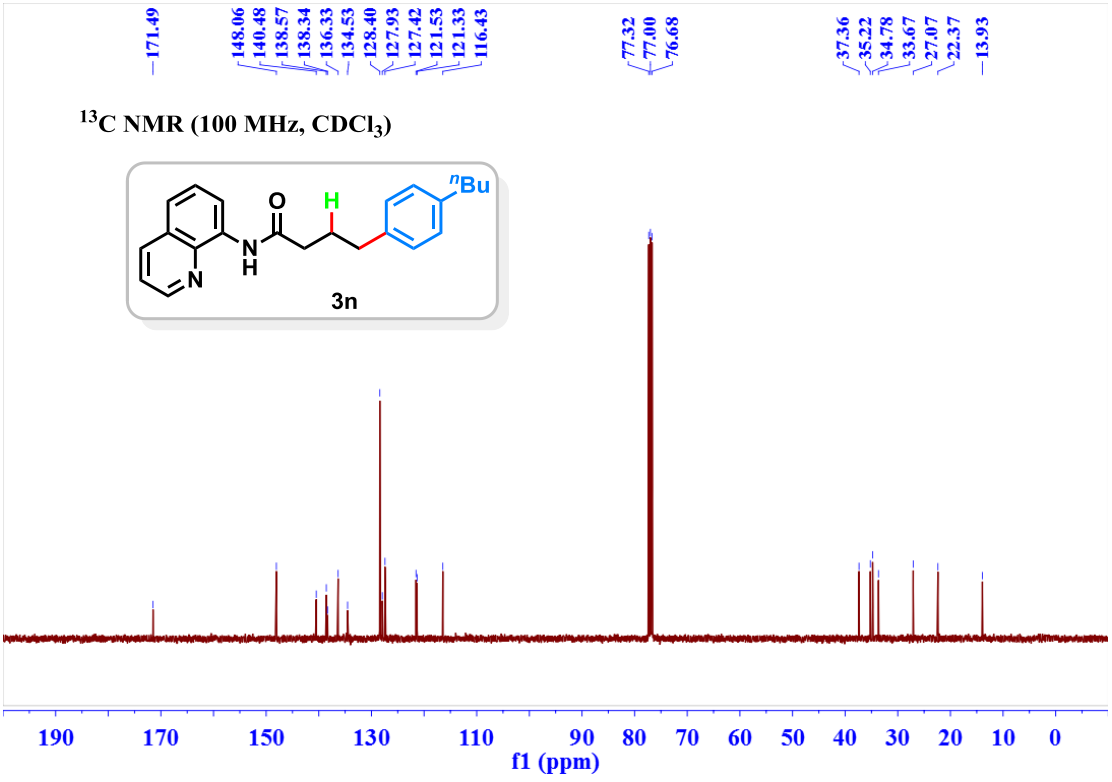
4-(4-isopropylphenyl)-*N*-(quinolin-8-yl)butanamide (3m)



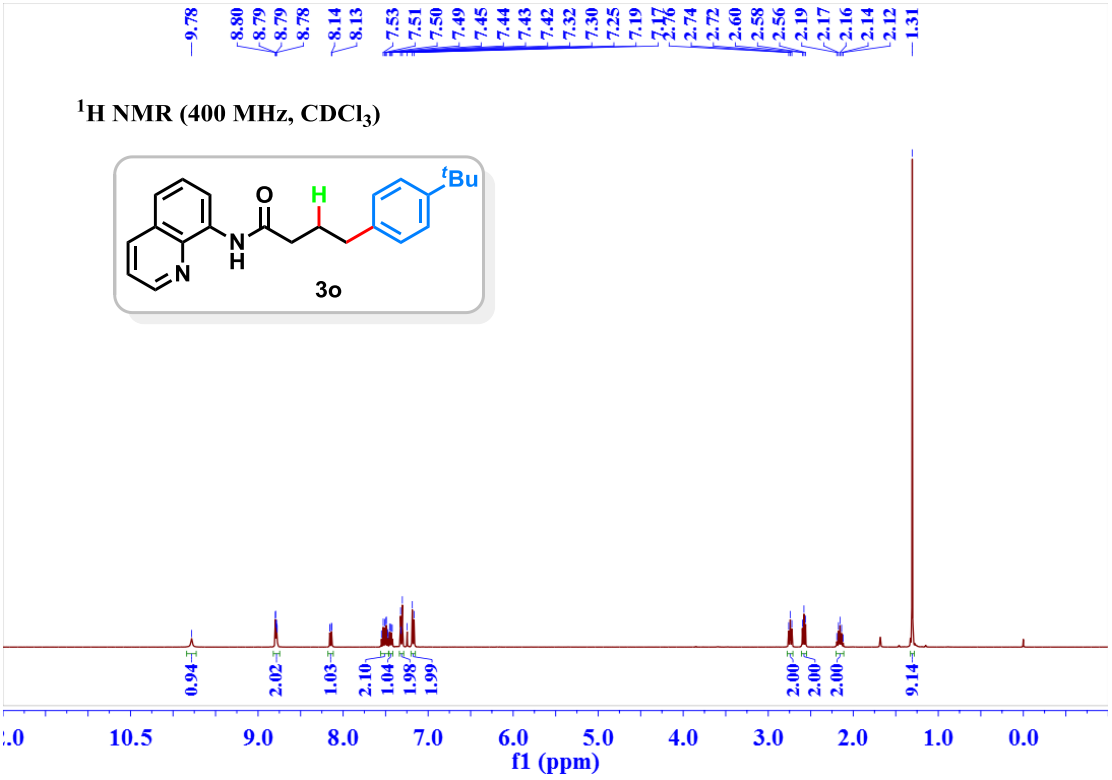


4-(4-butylphenyl)-*N*-(quinolin-8-yl)butanamide (3n)

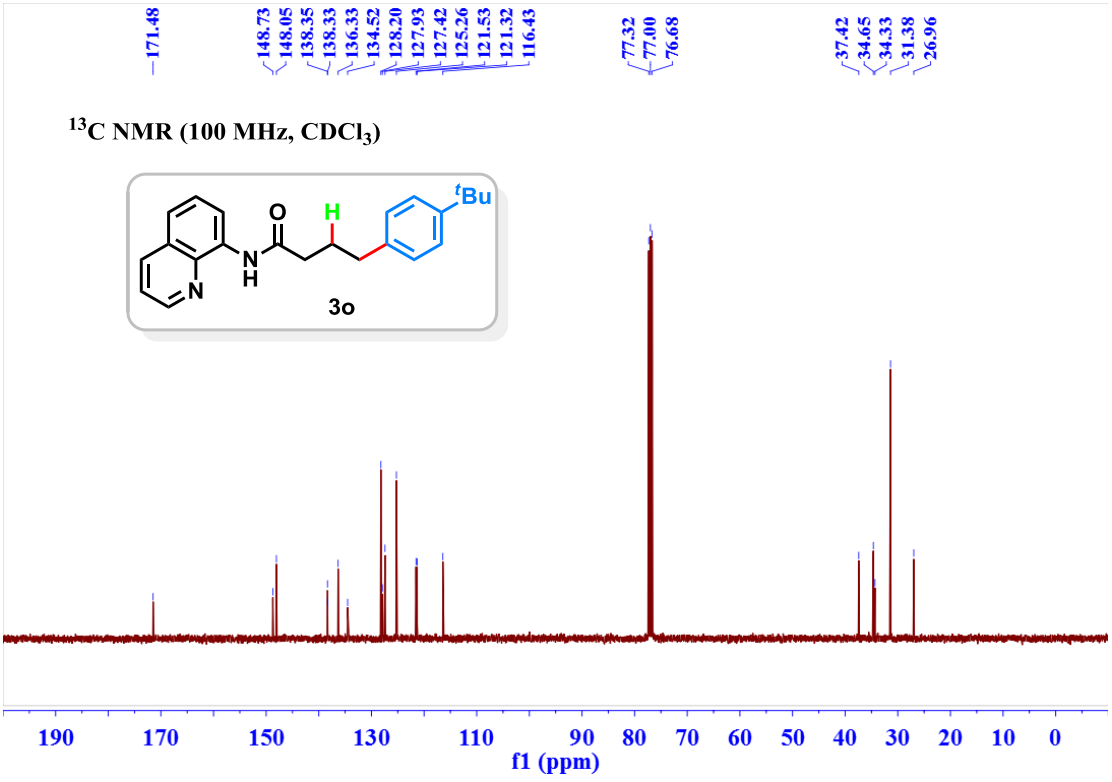




4-(4-(*tert*-butyl)phenyl)-*N*-(quinolin-8-yl)butanamide (3o)

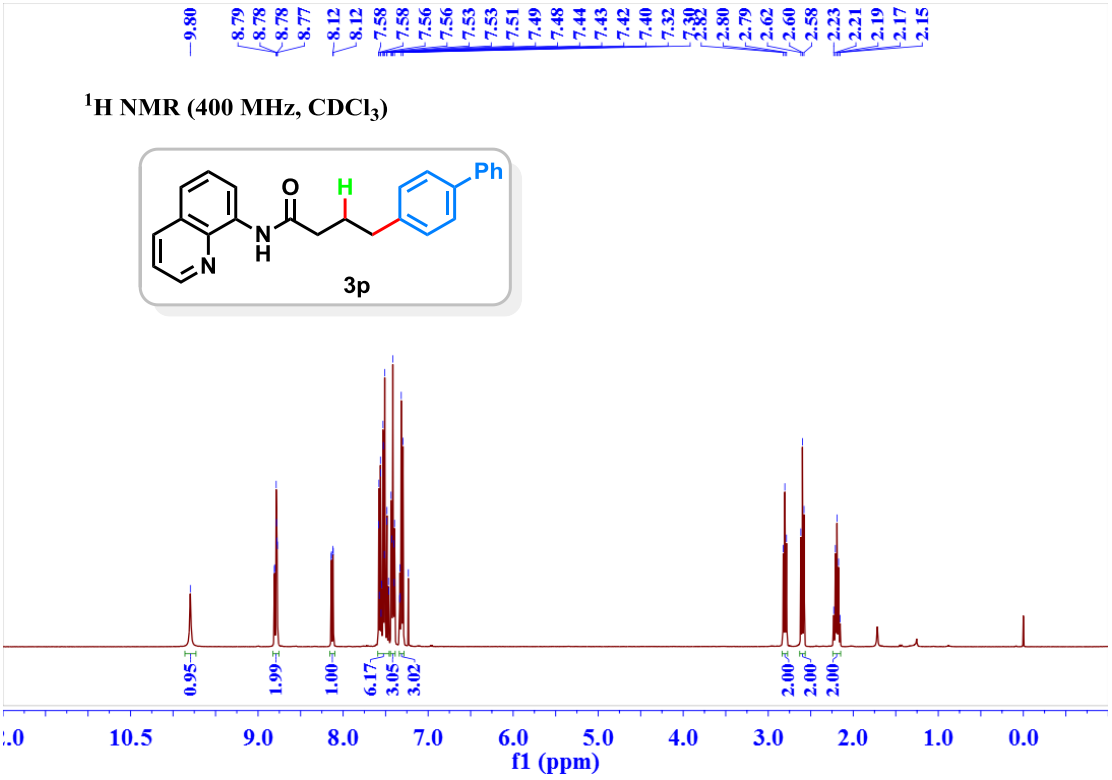


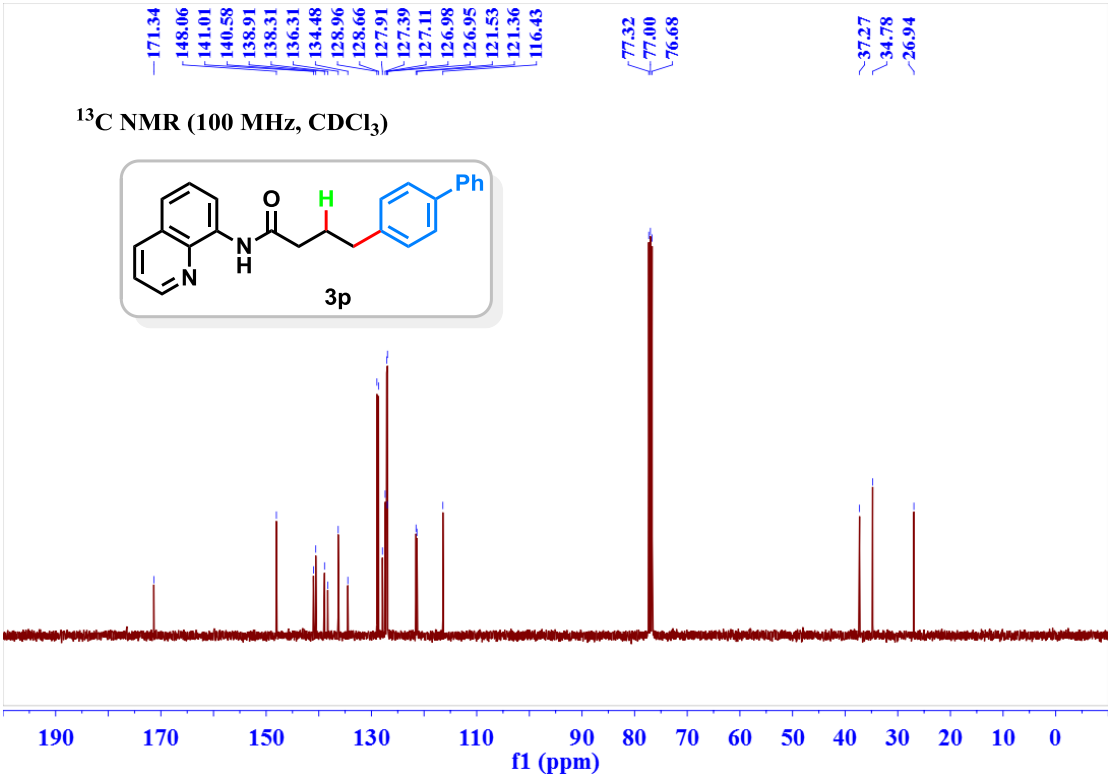
^{1}H NMR (400 MHz, $CDCl_3$)



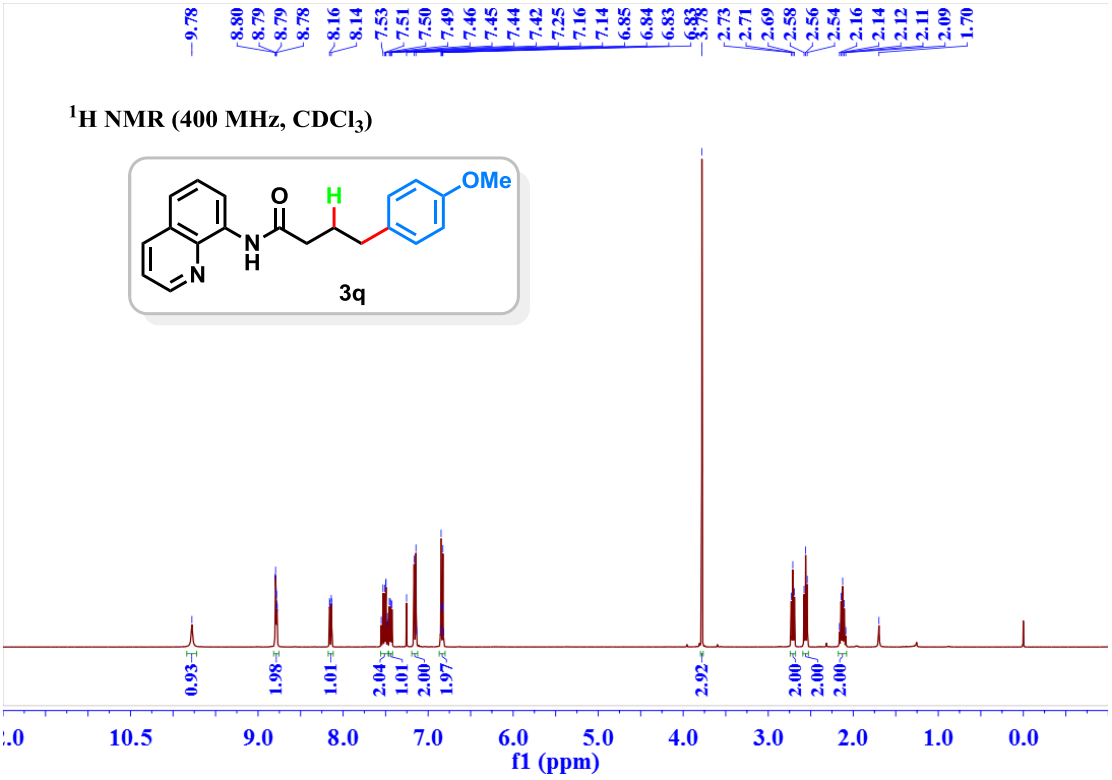
^{13}C NMR (100 MHz, $CDCl_3$)

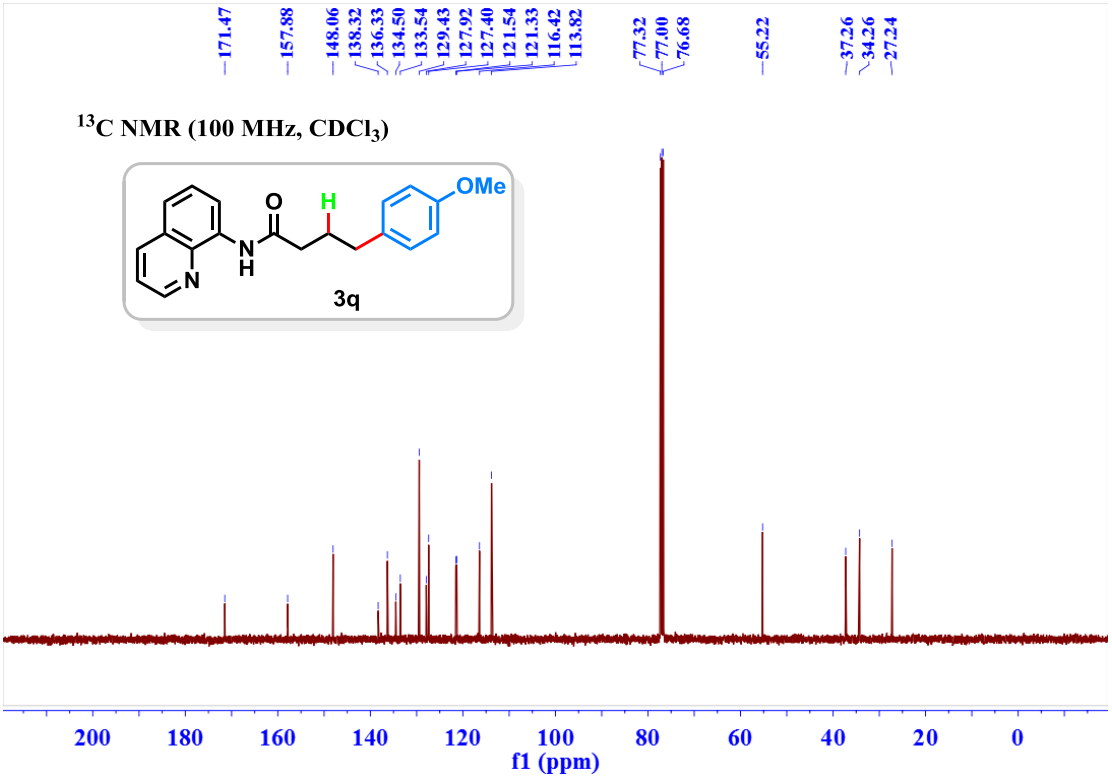
4-([1,1'-biphenyl]-4-yl)-*N*-(quinolin-8-yl)butanamide (3p)



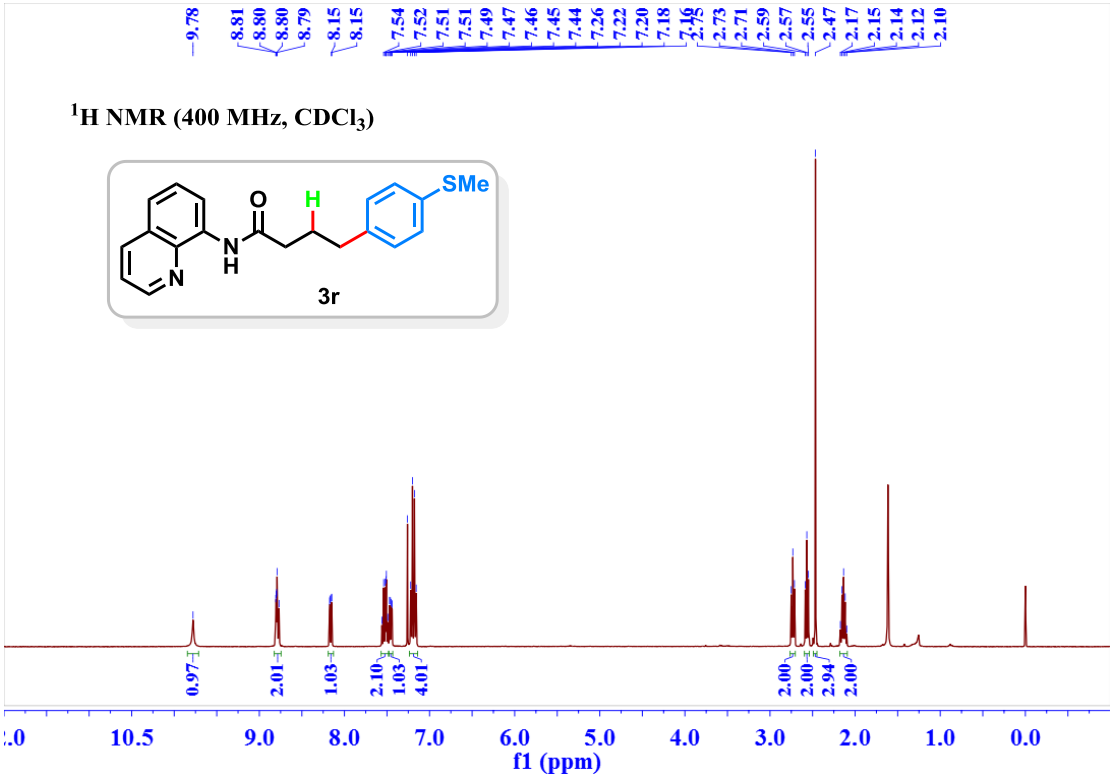


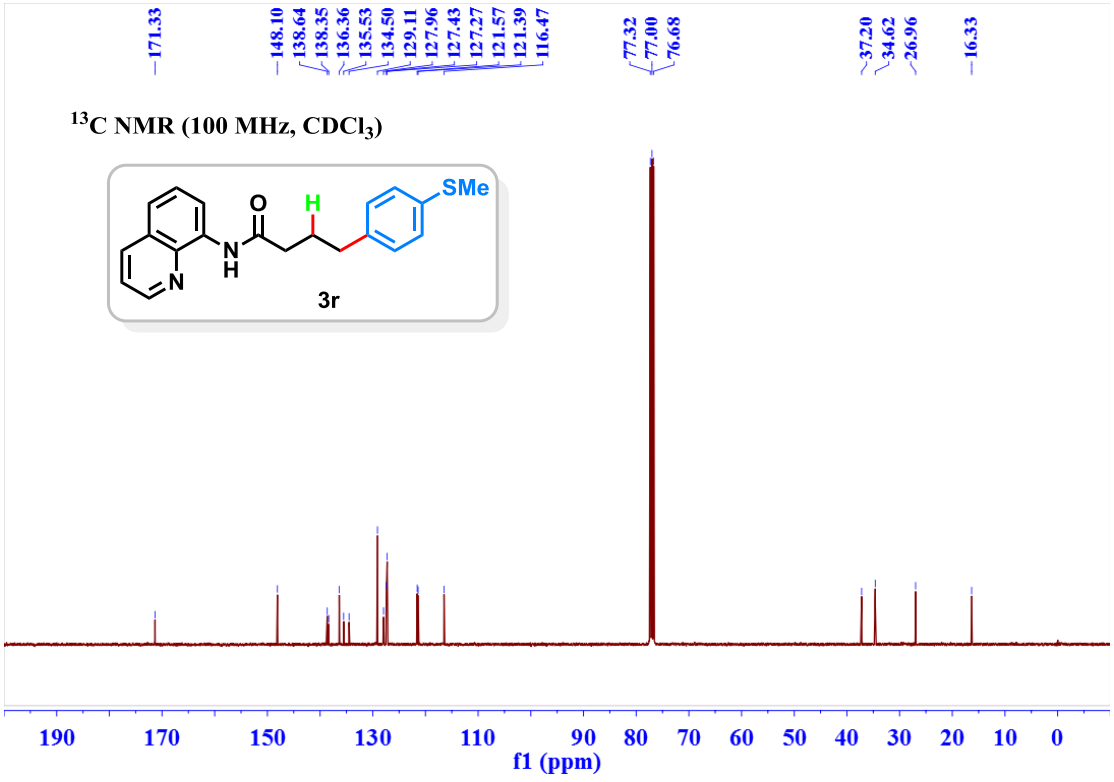
4-(4-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3q)



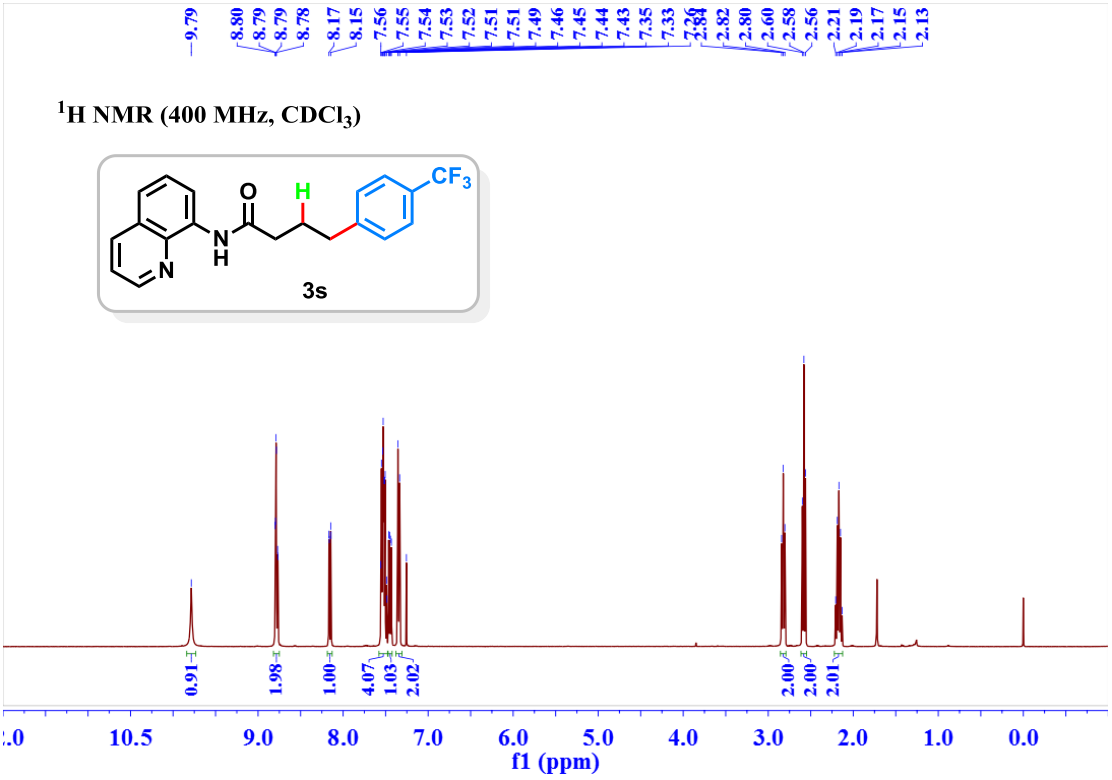


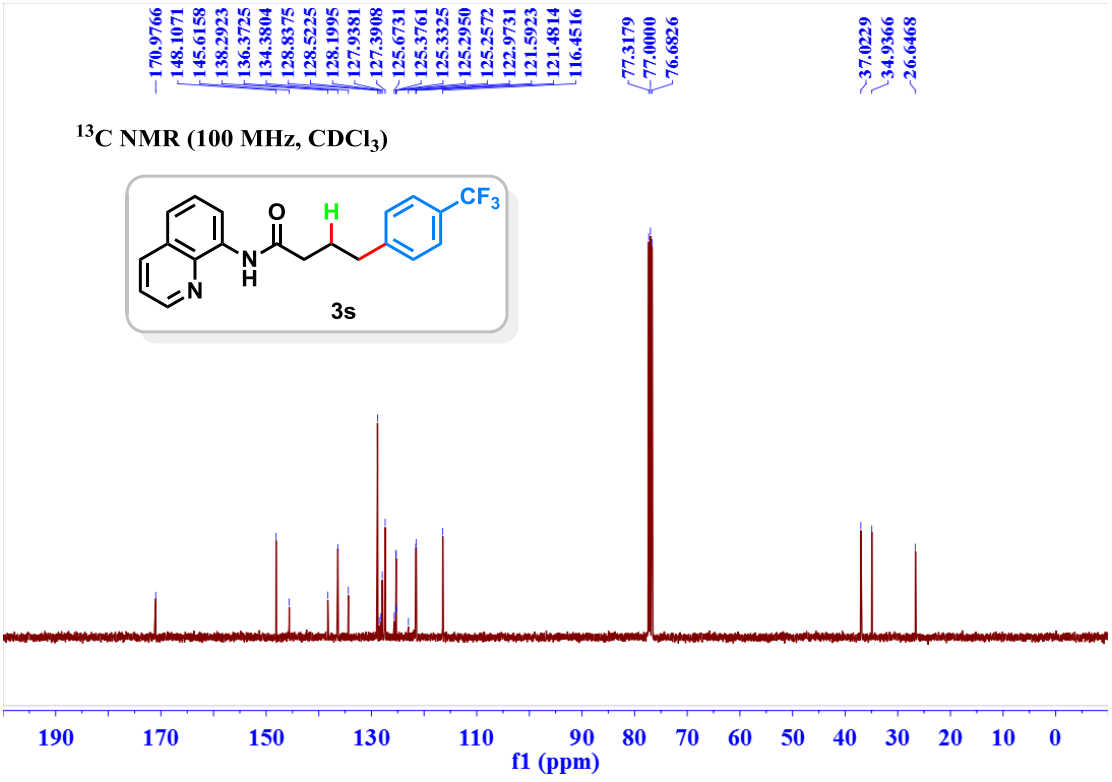
4-(4-(methylthio)phenyl)-*N*-(quinolin-8-yl)butanamide (3r)

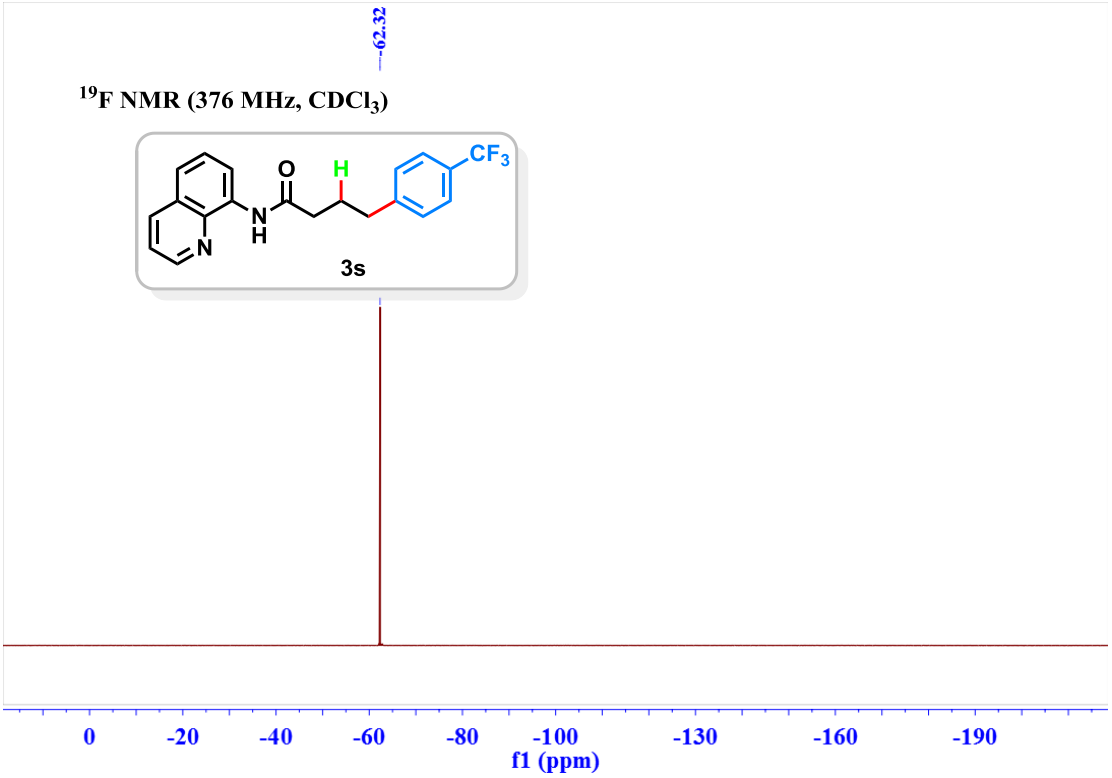




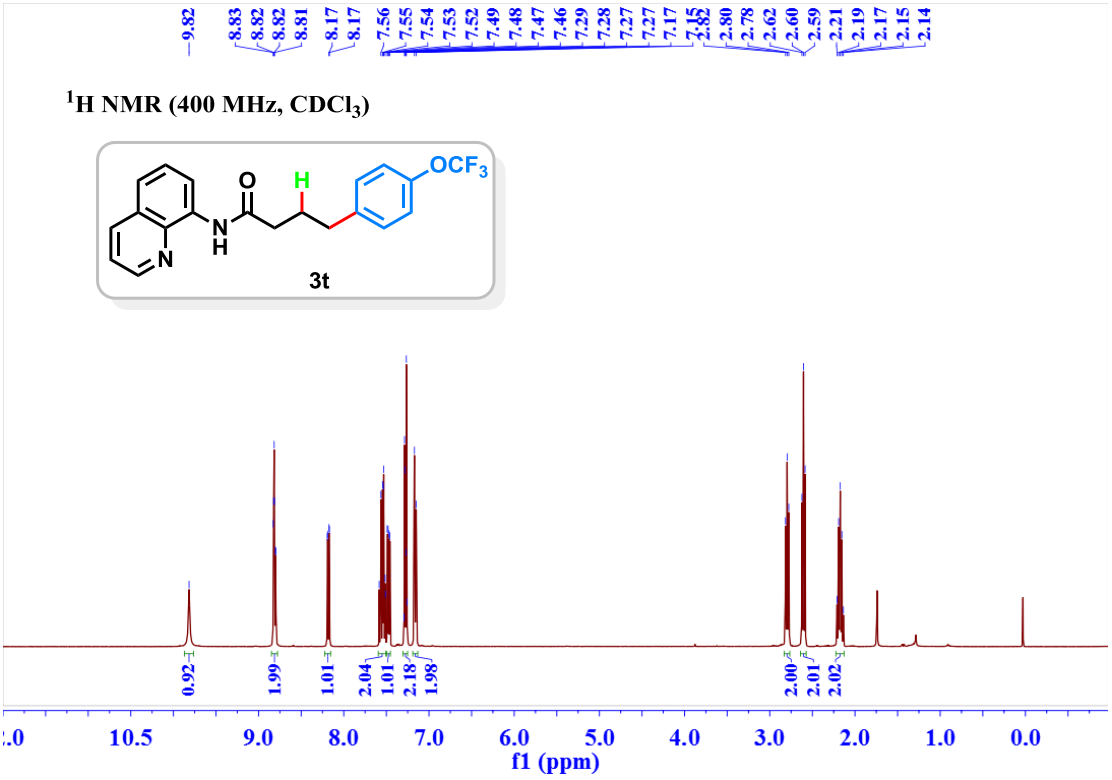
N-(quinolin-8-yl)-4-(4-(trifluoromethyl)phenyl)butanamide (3s)

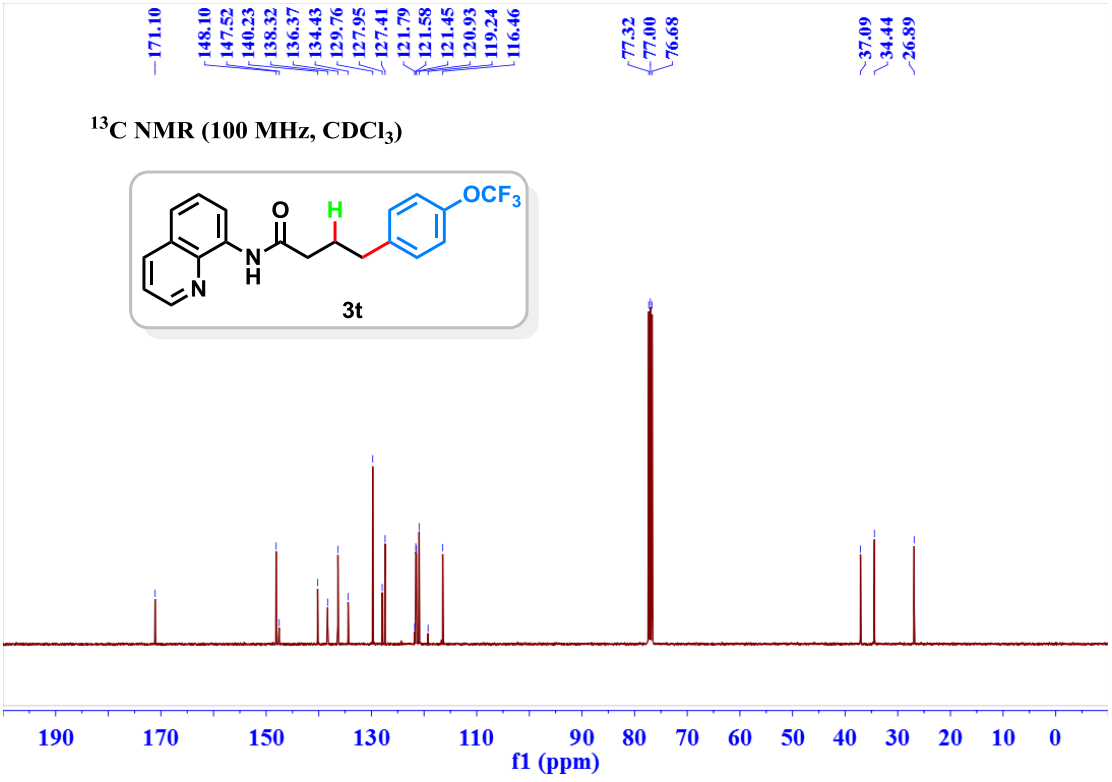


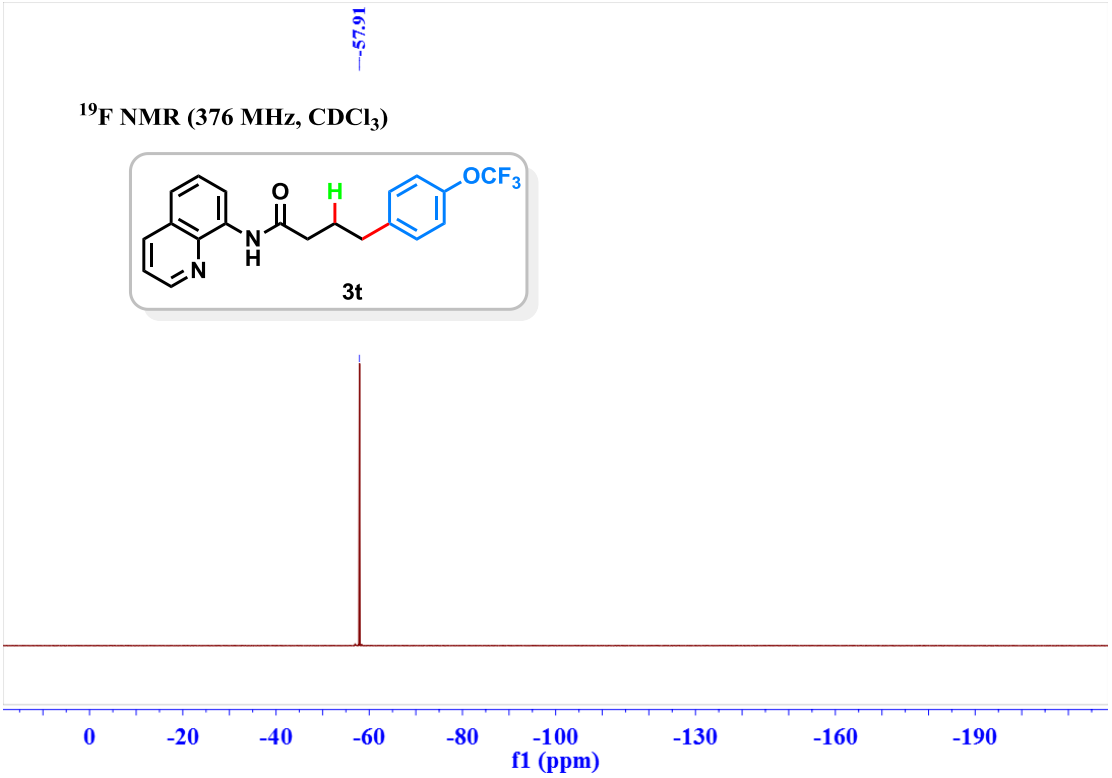



-62.32
19F NMR (376 MHz, CDCl3)
O
H
CF3
N
H
N
3s
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

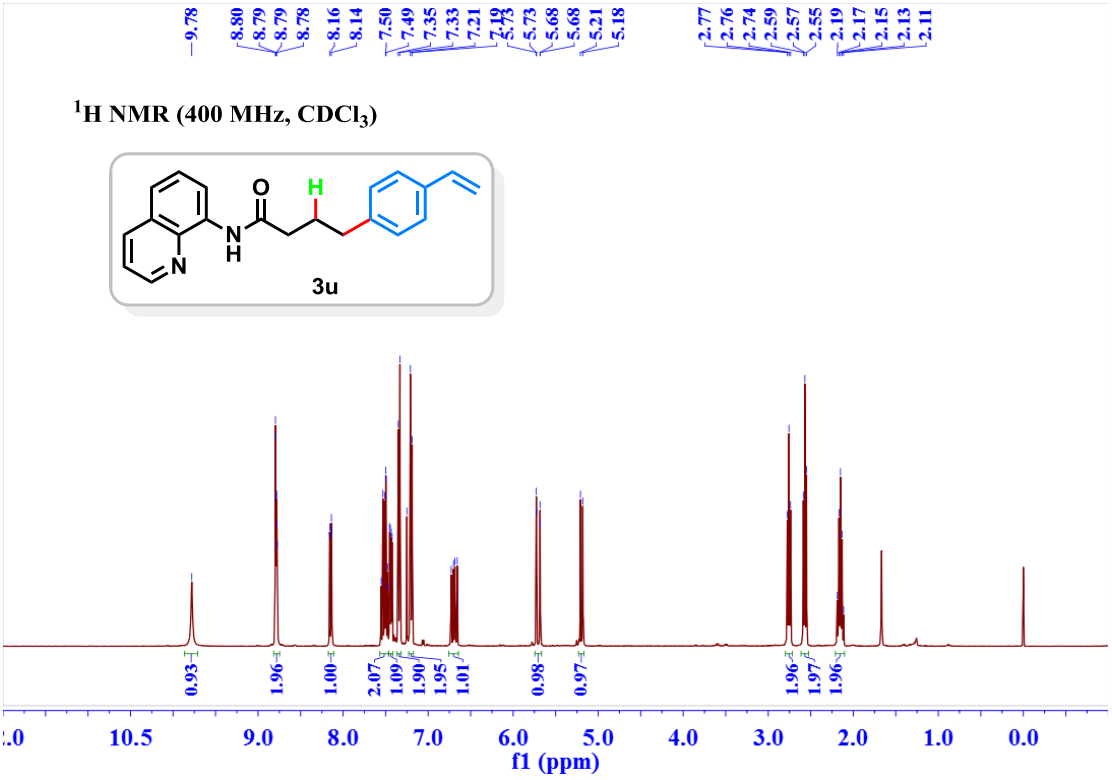
N-(quinolin-8-yl)-4-(4-(trifluoromethoxy)phenyl)butanamide (3t)

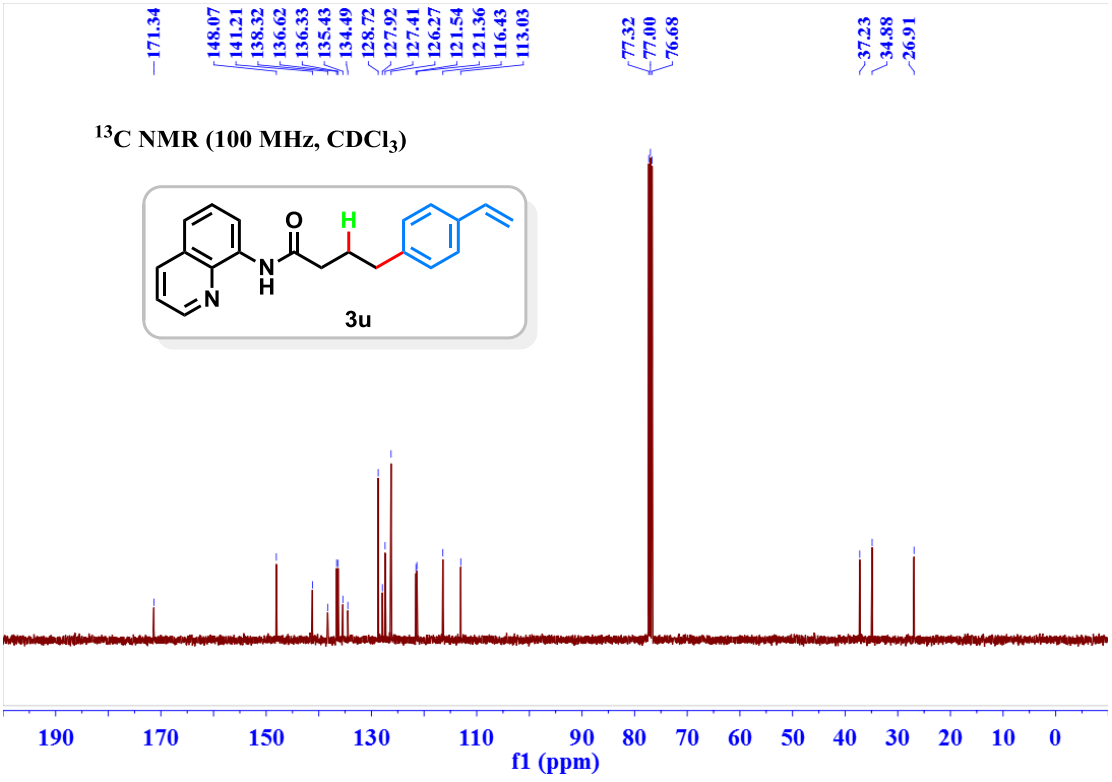




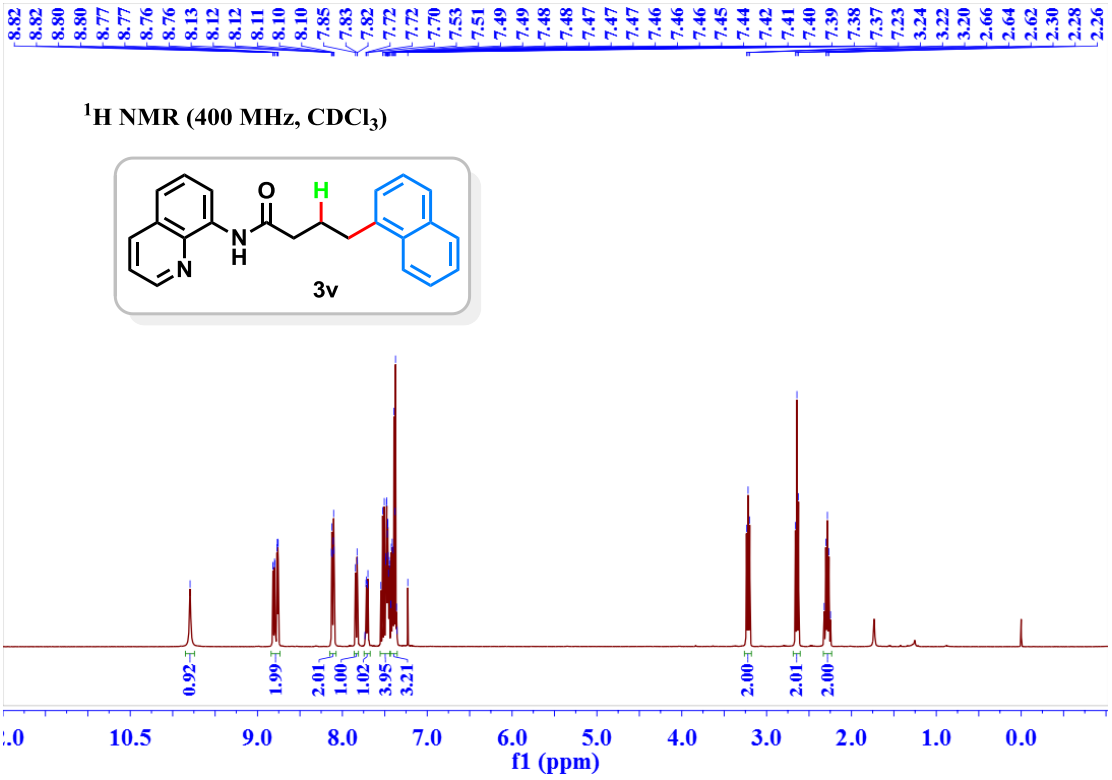

-57.91
19F NMR (376 MHz, CDCl3)
O
H
OCF3
N
H
N
3t
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

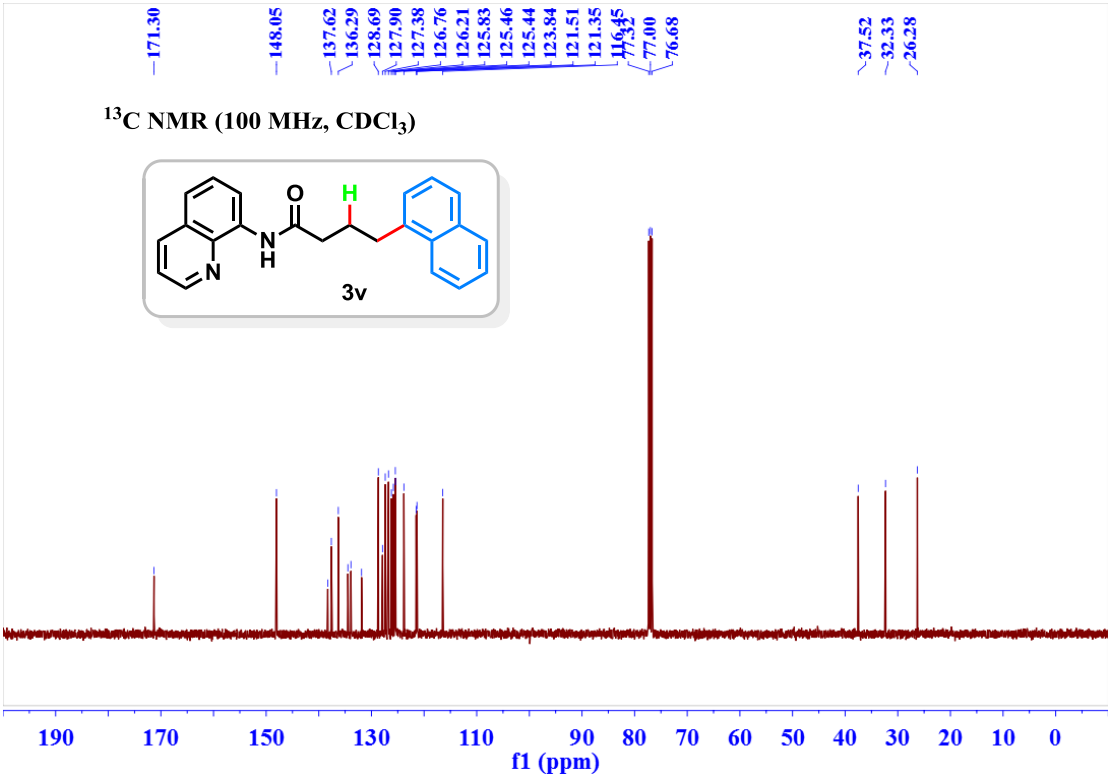
N-(quinolin-8-yl)-4-(4-vinylphenyl)butanamide (3u)



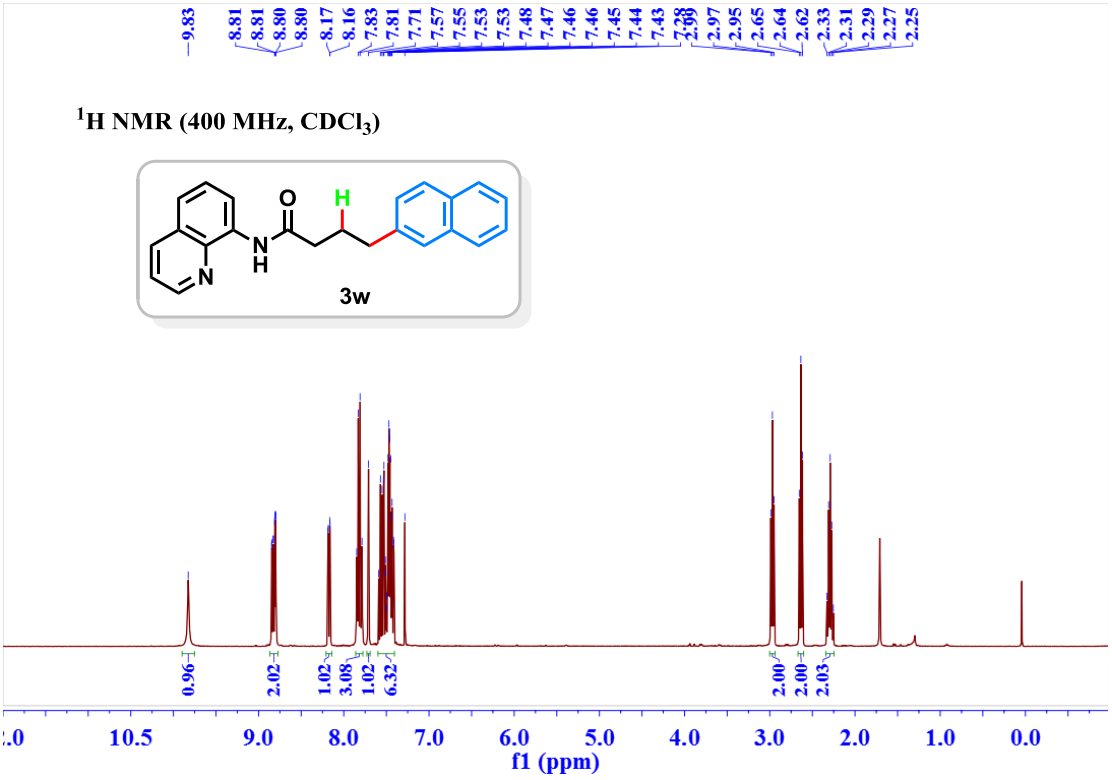


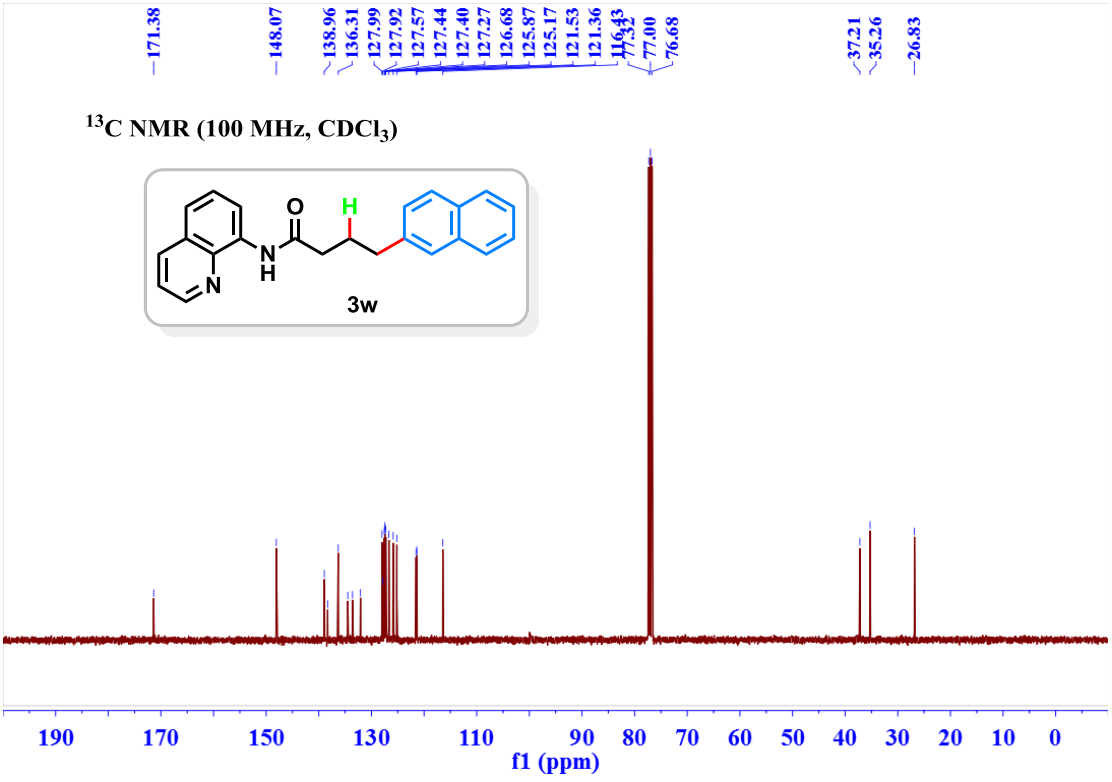
4-(naphthalen-1-yl)-*N*-(quinolin-8-yl)butanamide (3v)



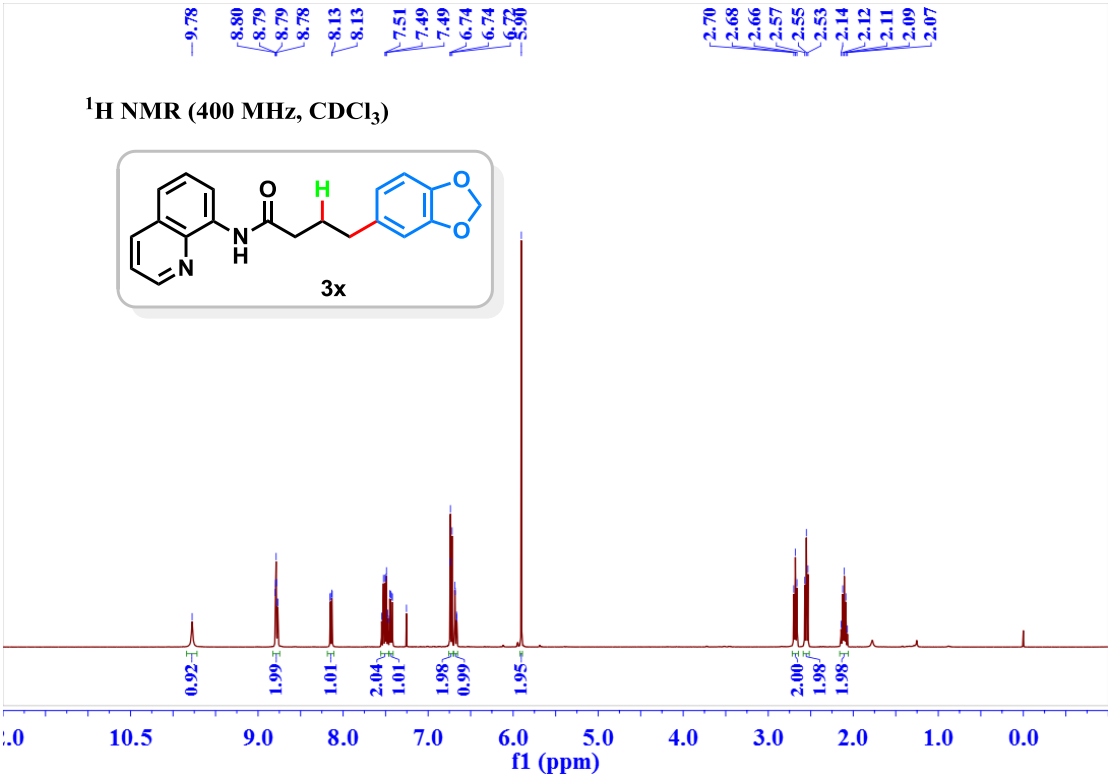


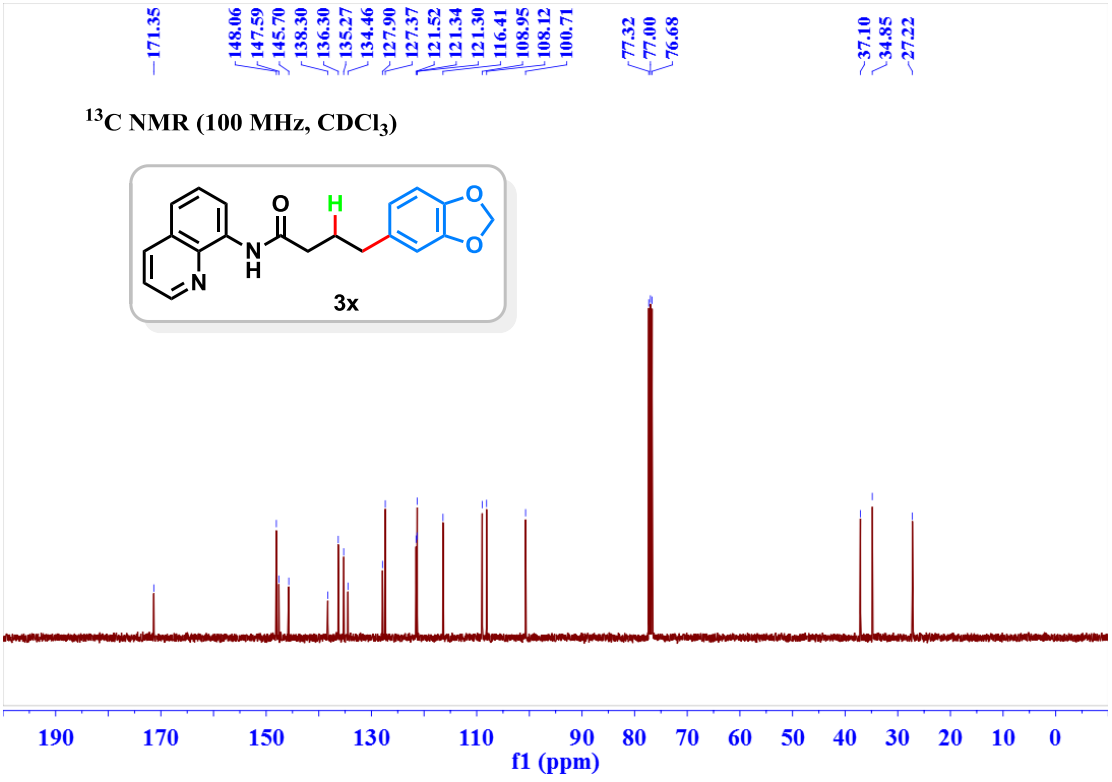
4-(naphthalen-2-yl)-*N*-(quinolin-8-yl)butanamide (3w)



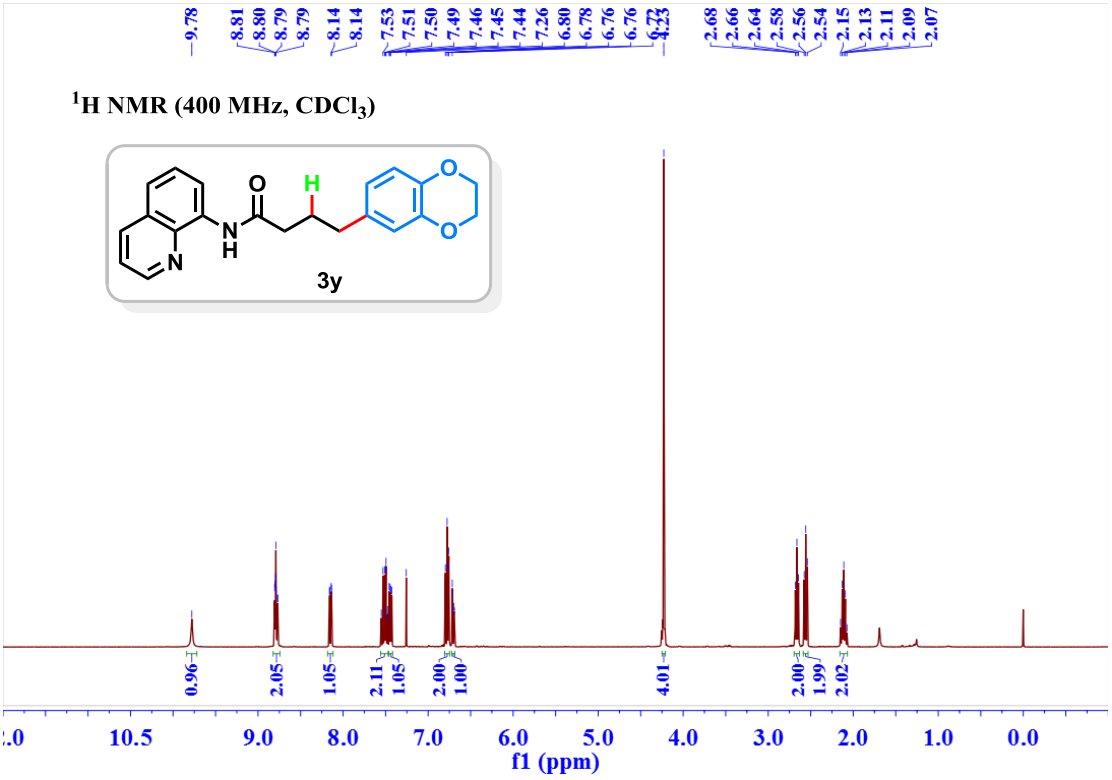


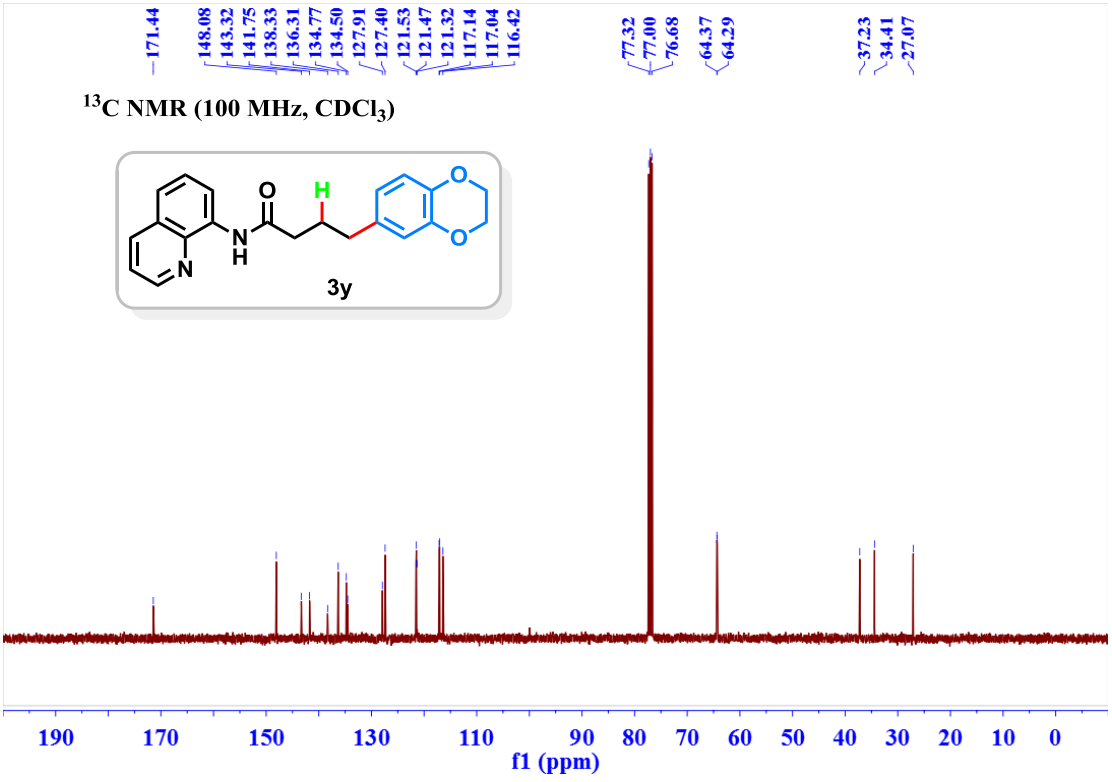
4-(benzo[d][1,3]dioxol-5-yl)-*N*-(quinolin-8-yl)butanamide (3x)



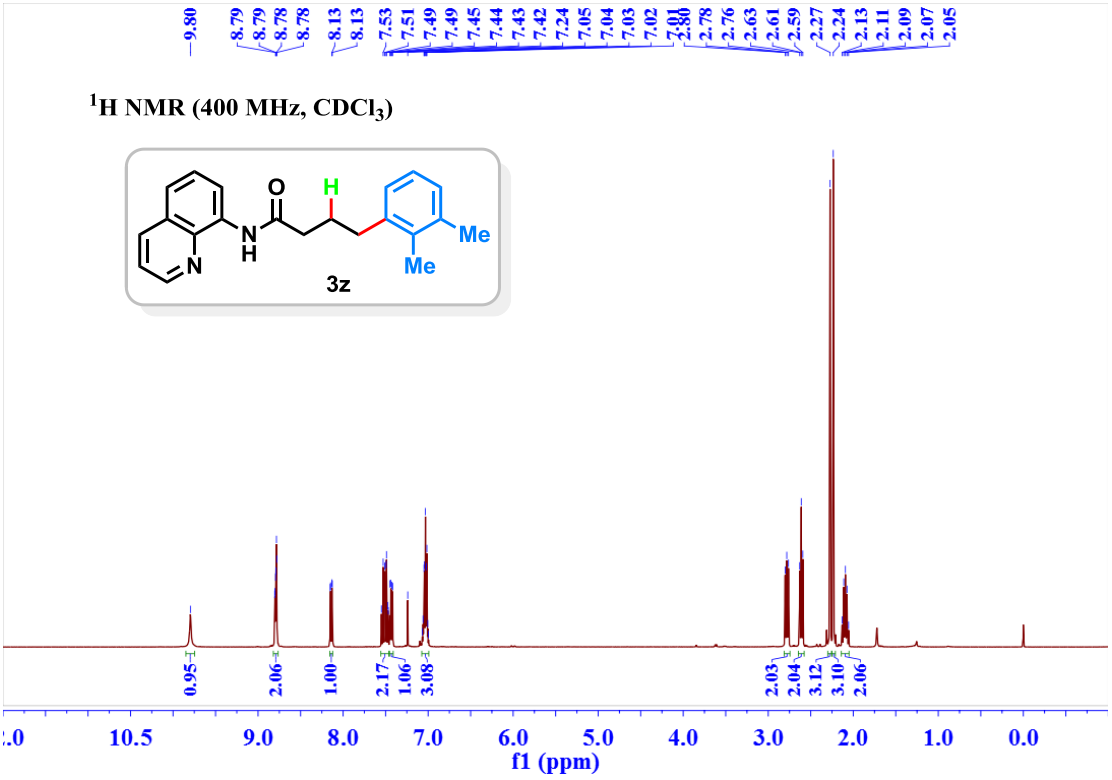


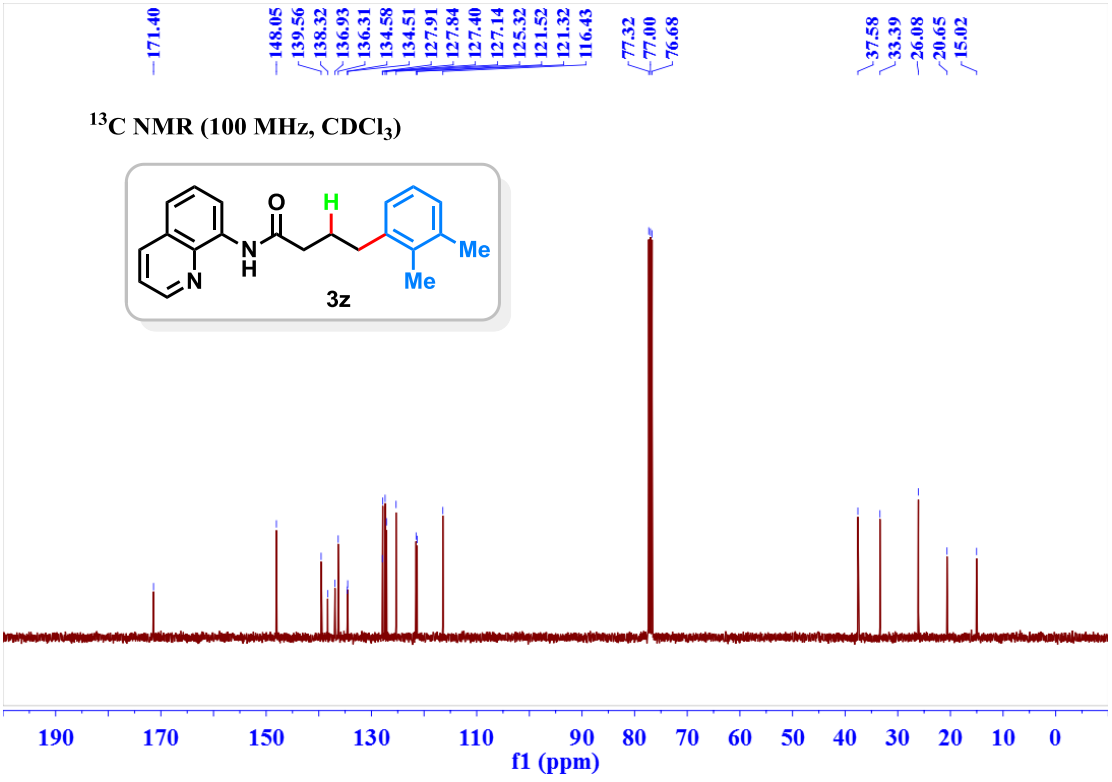
4-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-*N*-(quinolin-8-yl)butanamide (3y)



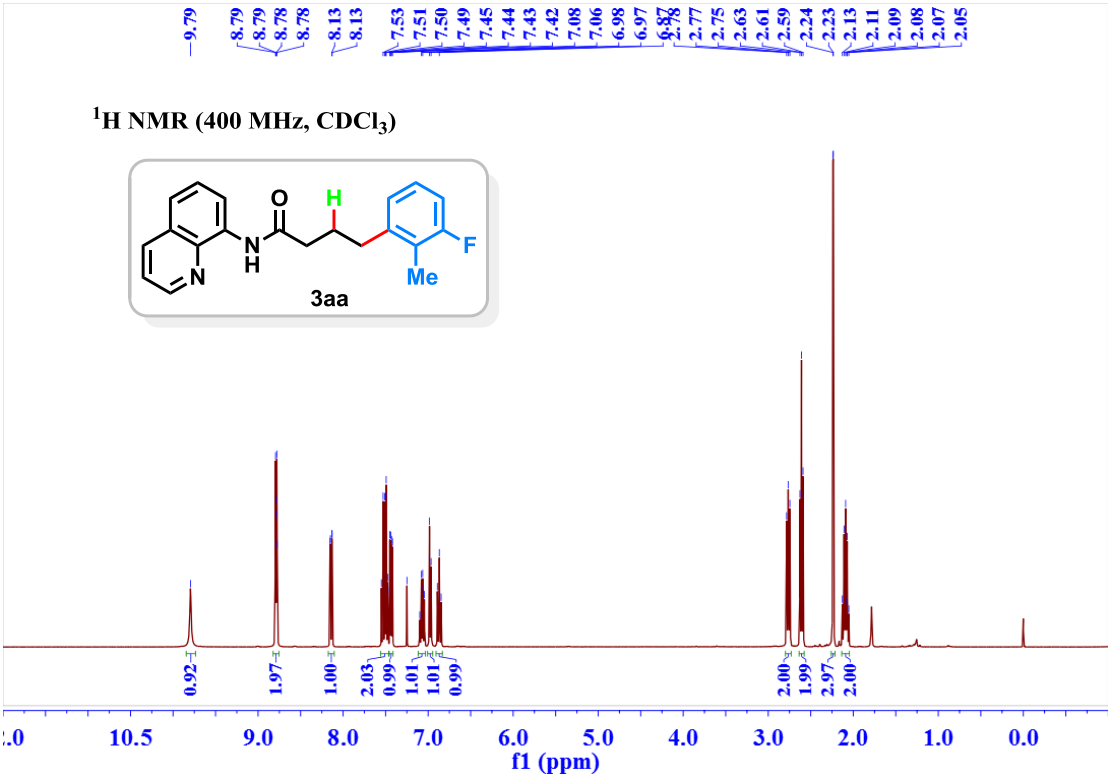


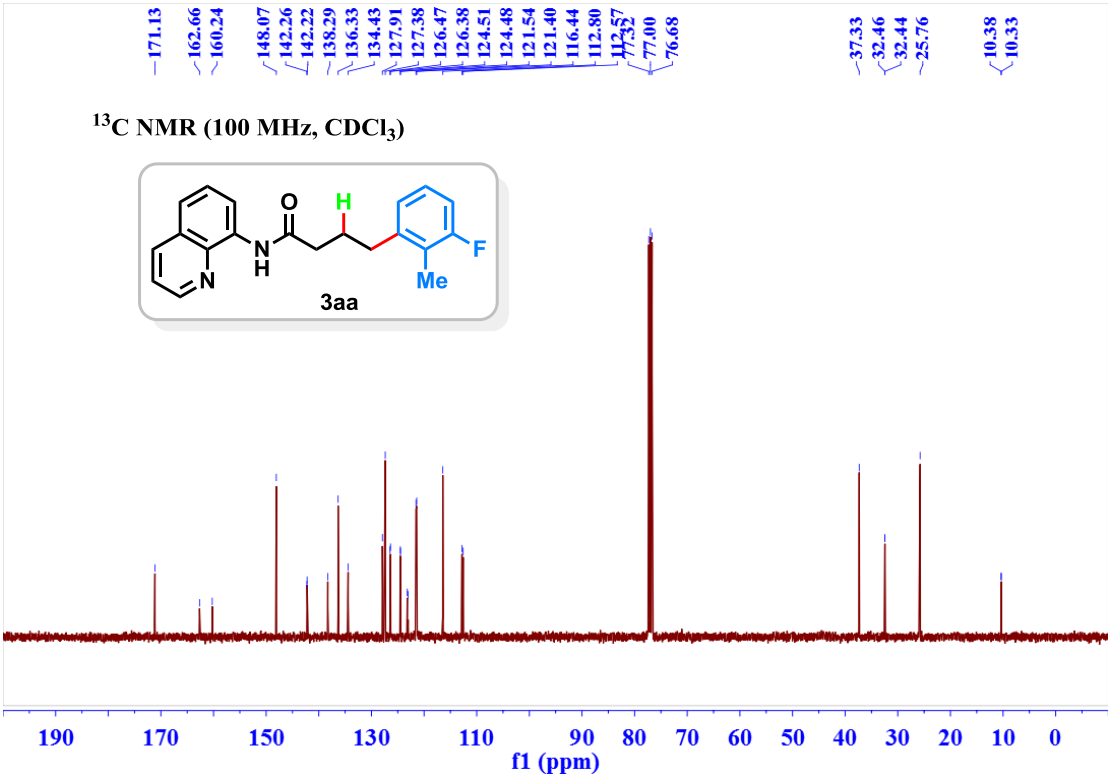
4-(2,3-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3z)



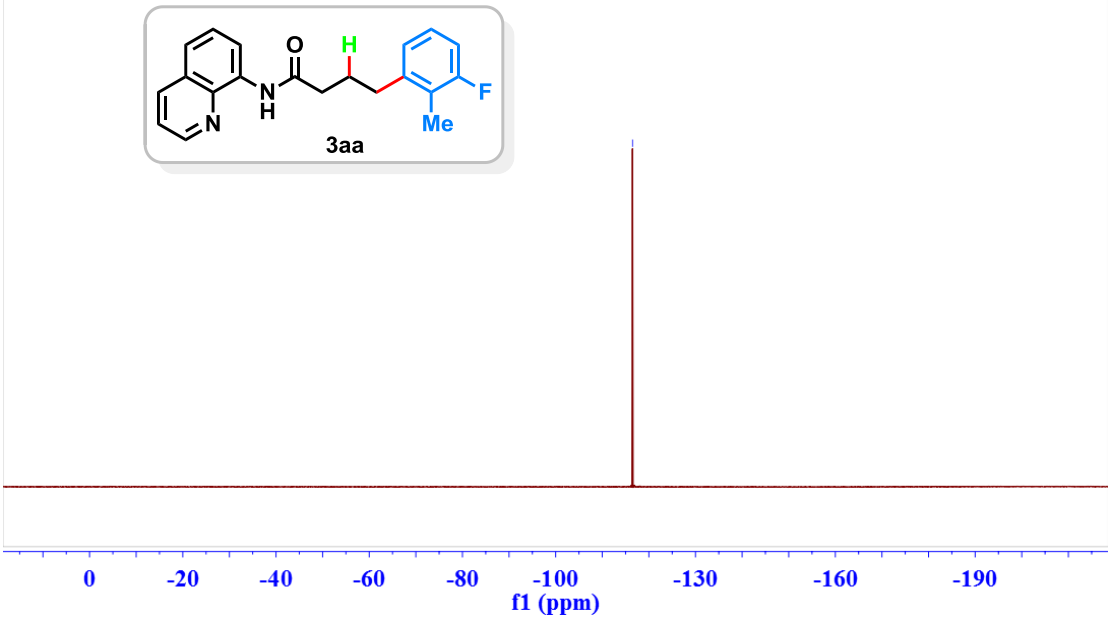


4-(3-fluoro-2-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3aa)

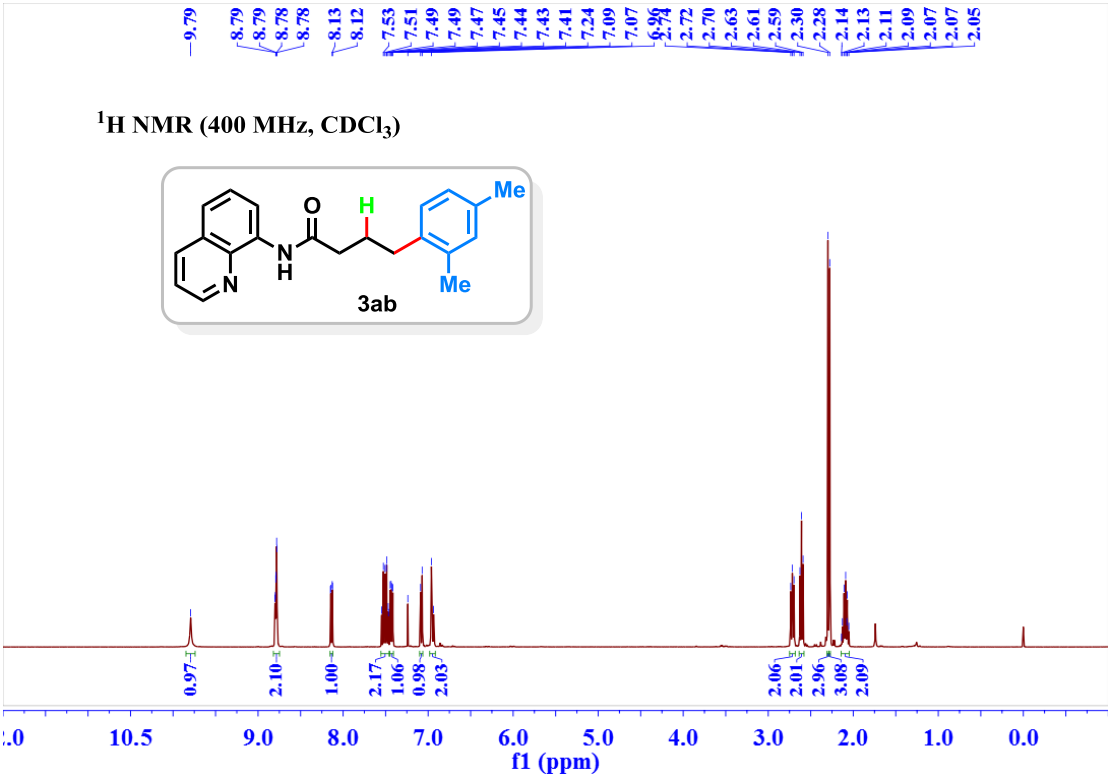


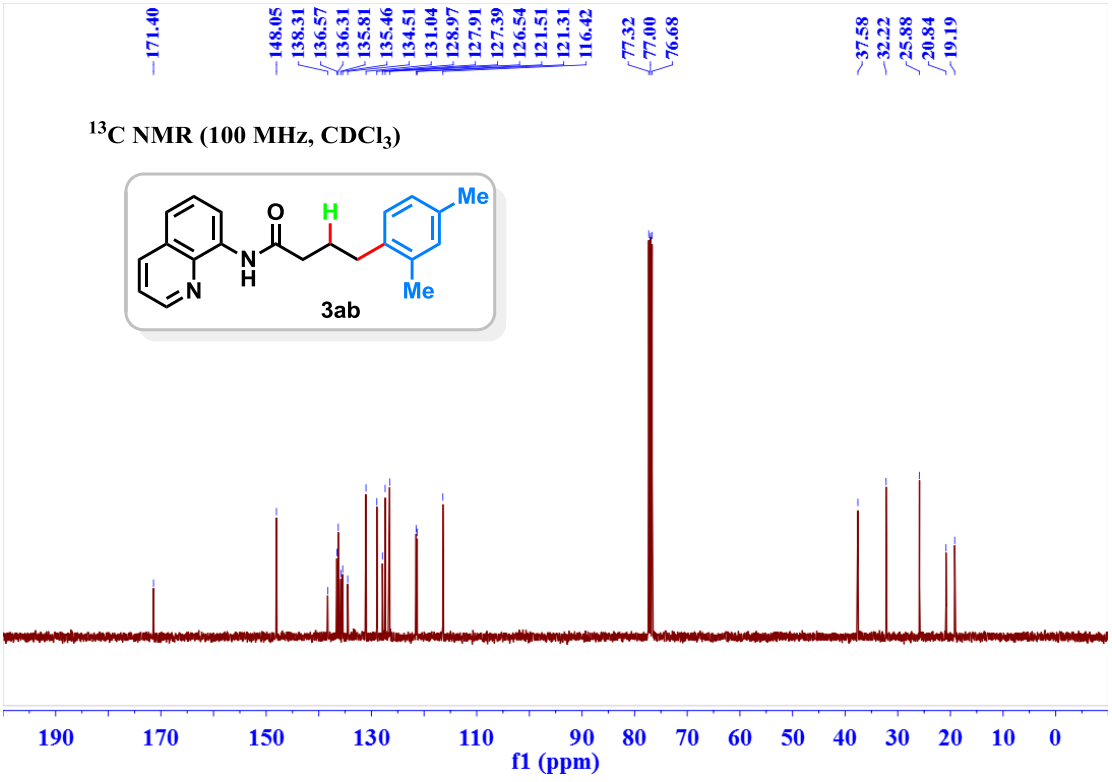


^{19}F NMR (376 MHz, $CDCl_3$)

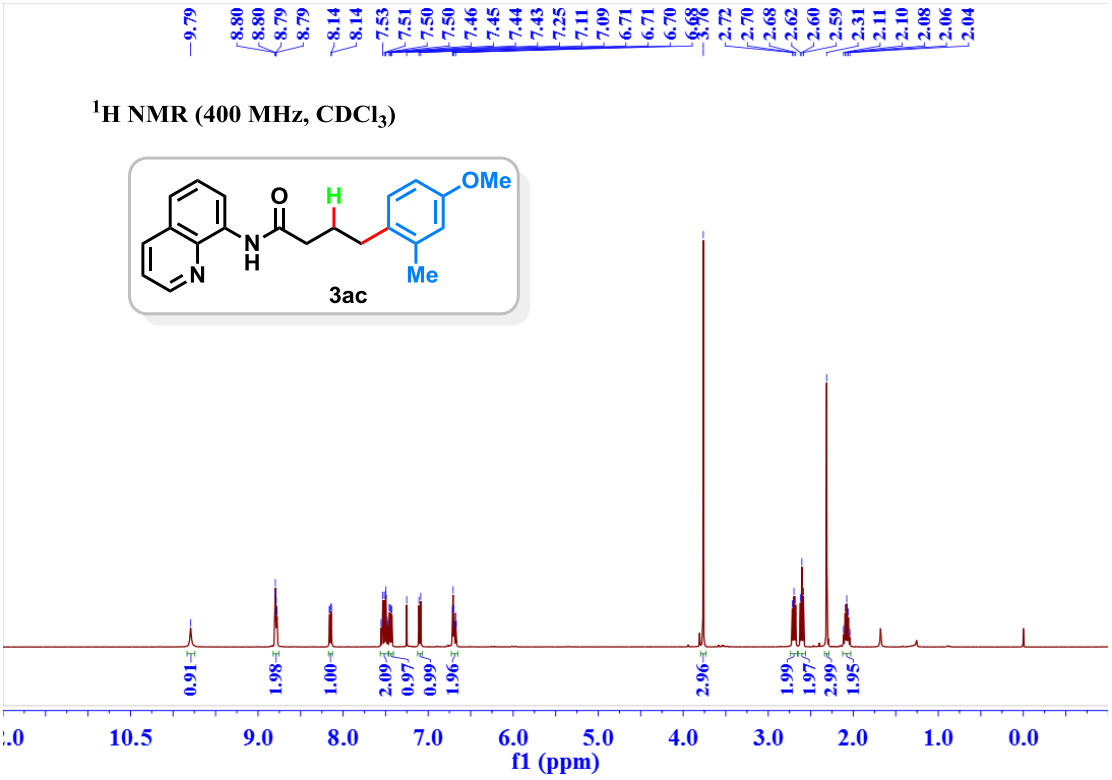


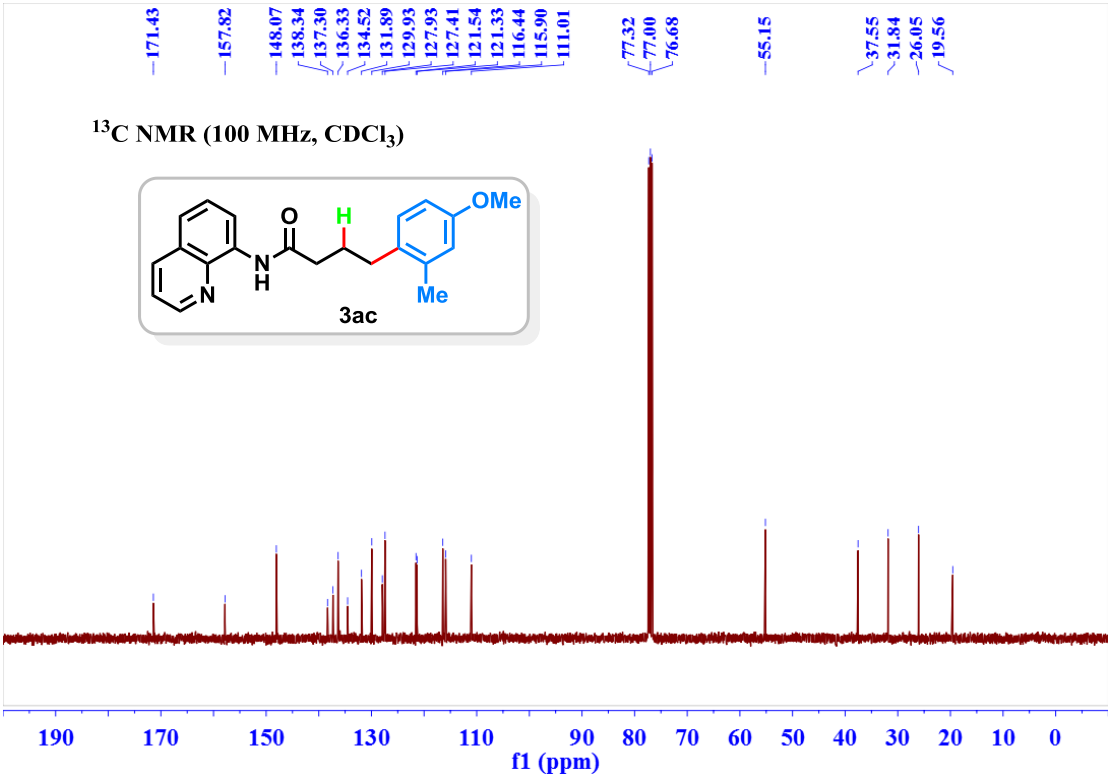
4-(2,4-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3ab)



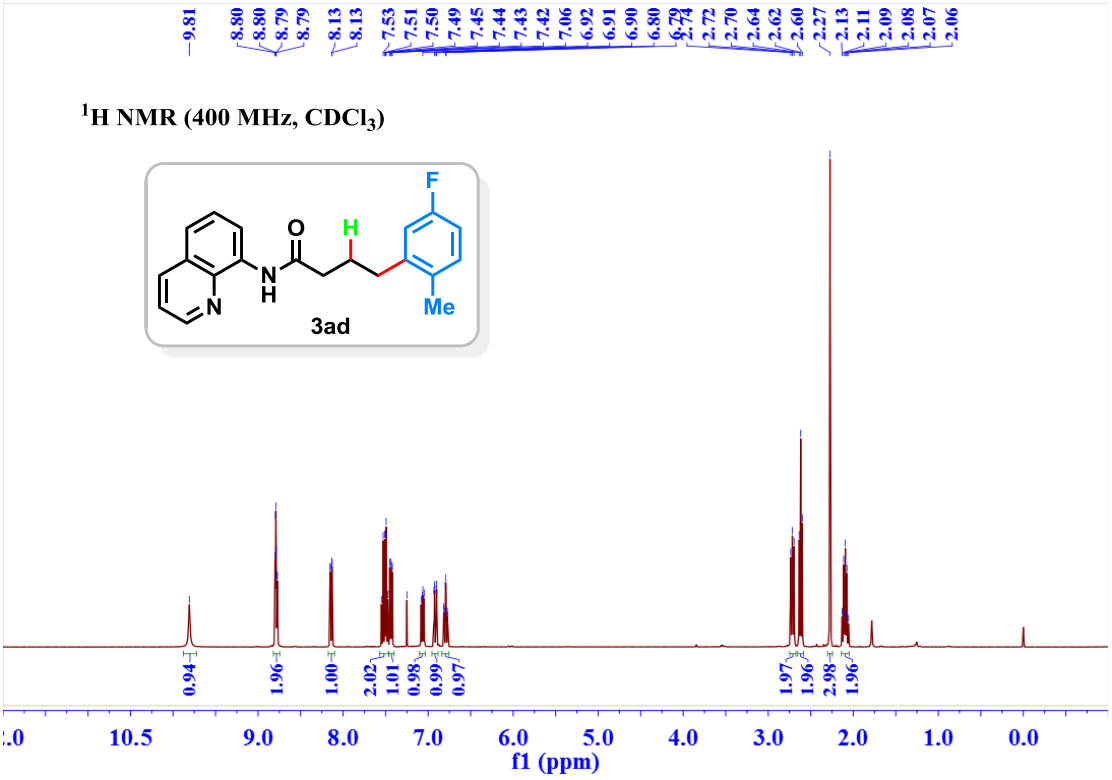


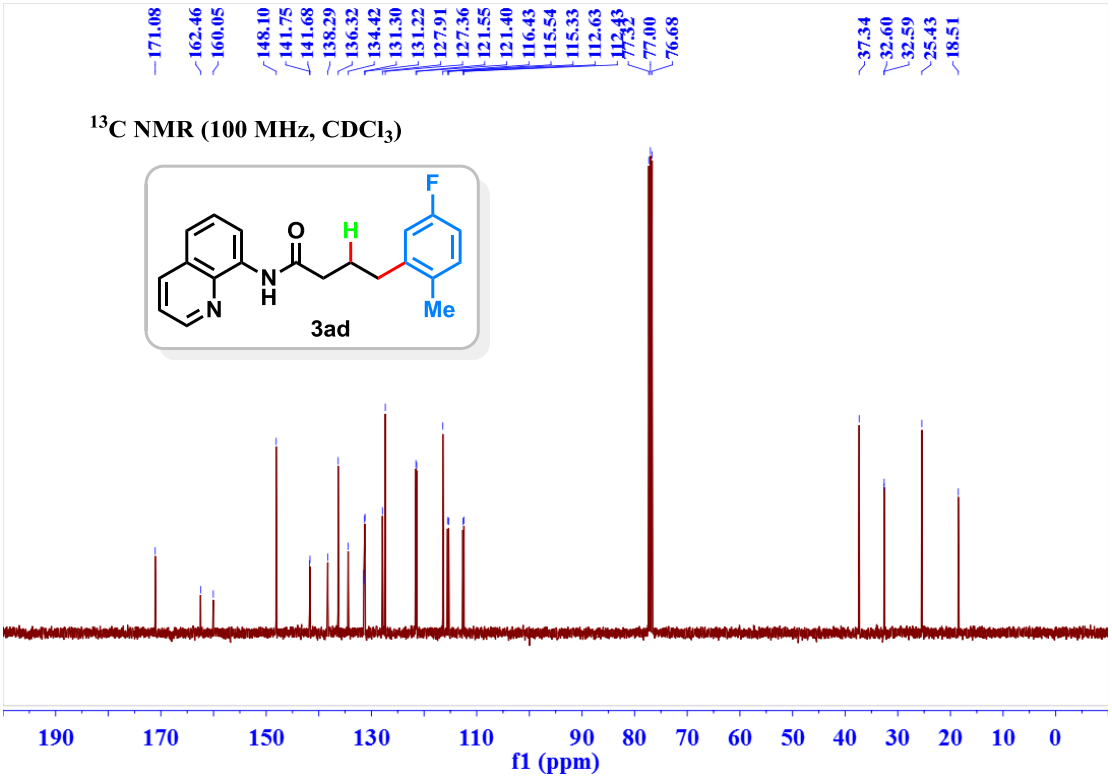
4-(4-methoxy-2-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ac)

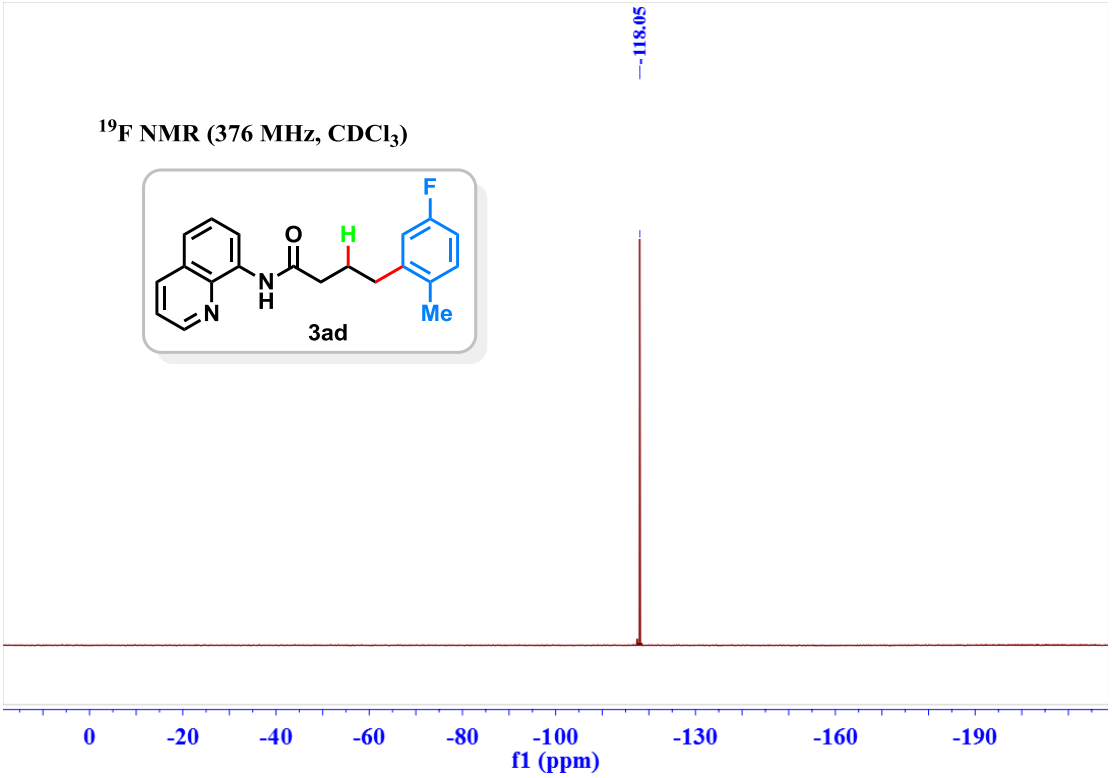




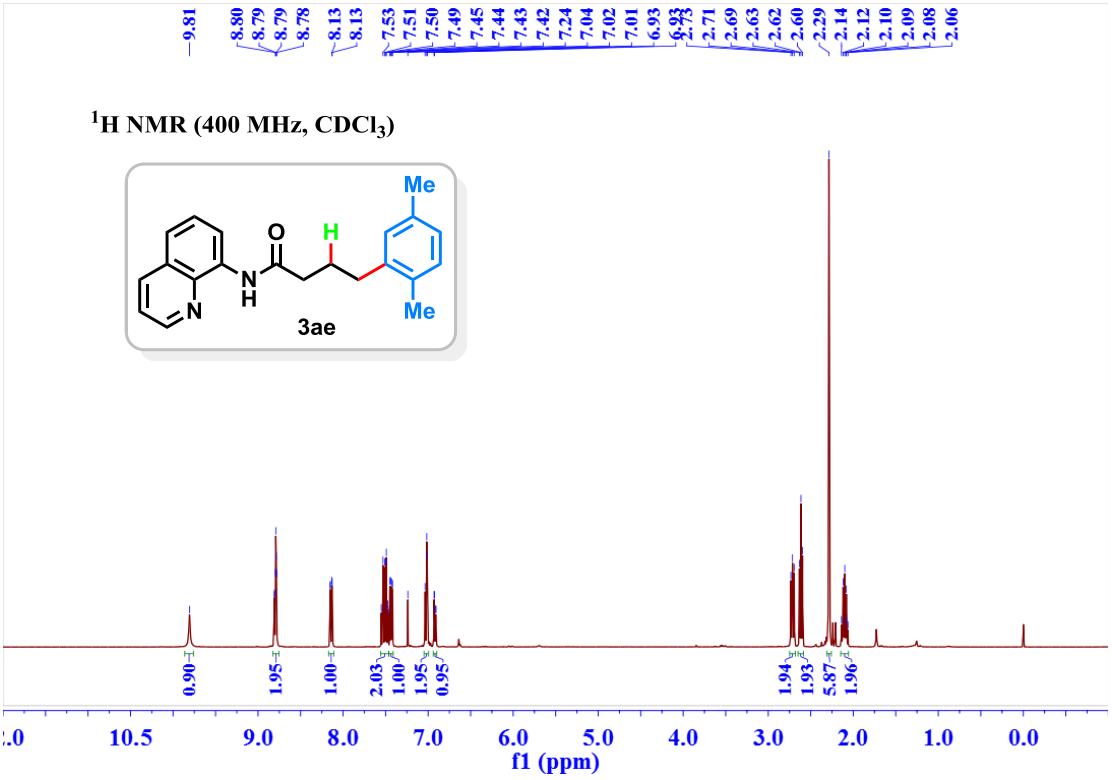
4-(5-fluoro-2-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ad)

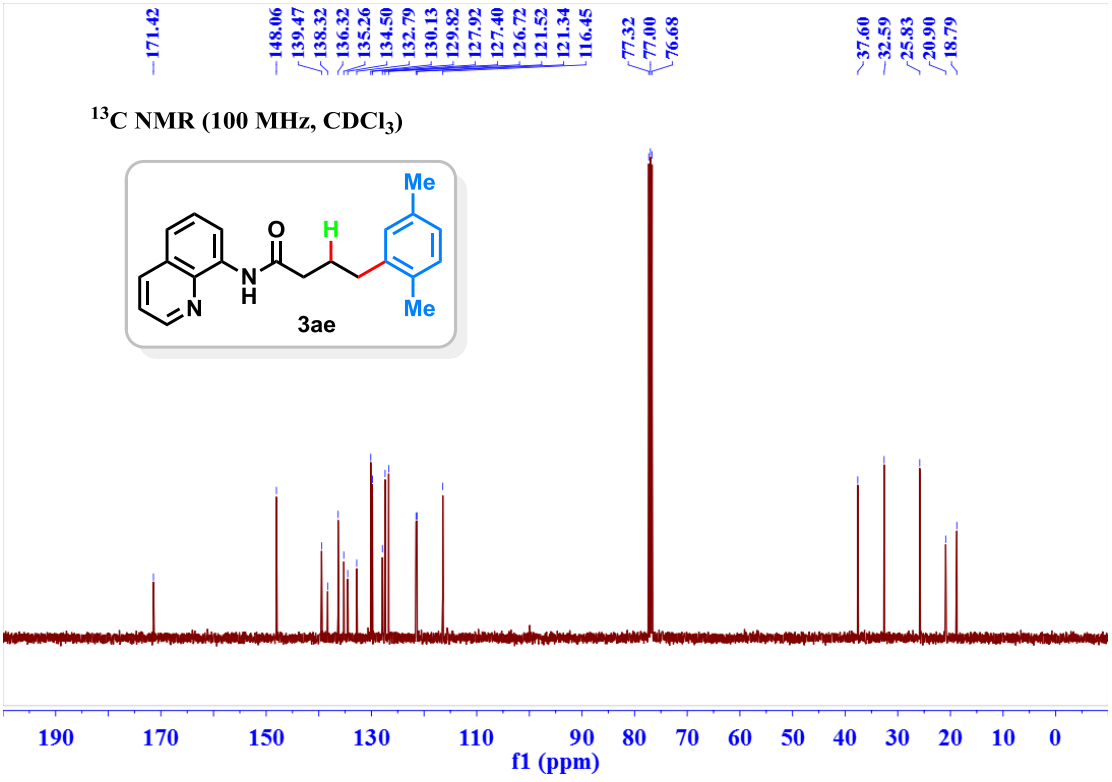




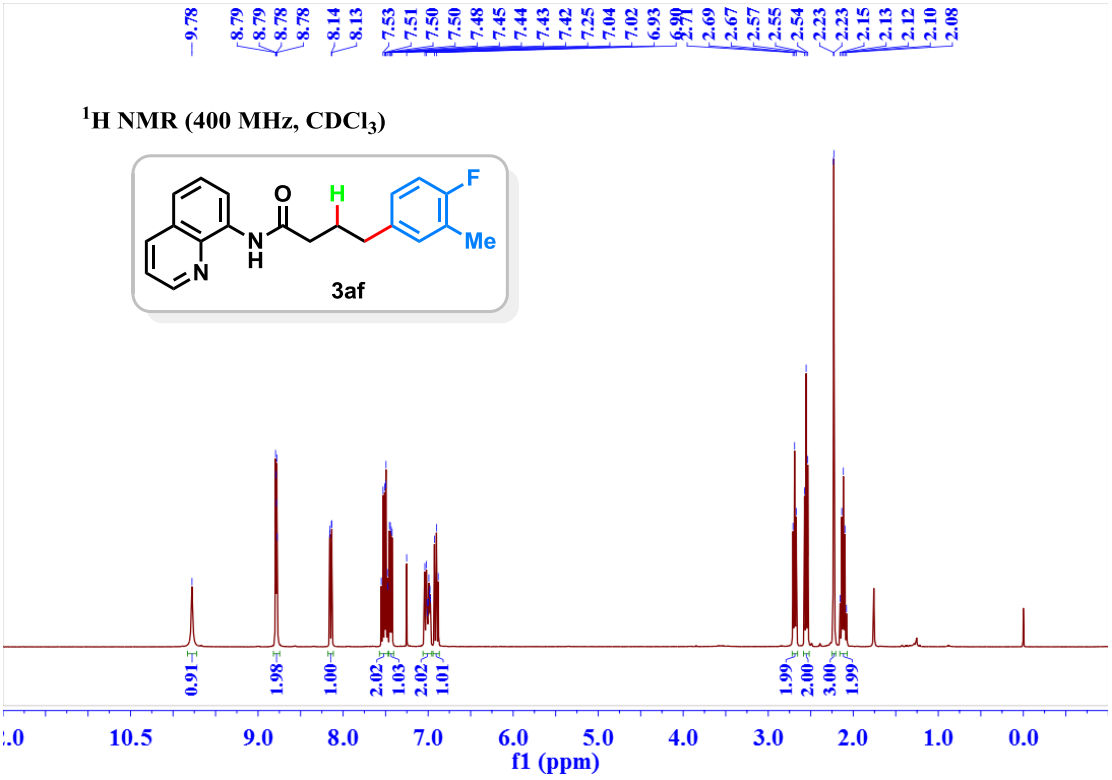

-118.05
19F NMR (376 MHz, CDCl3)
F
O
H
N
H
N
Me
3ad
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

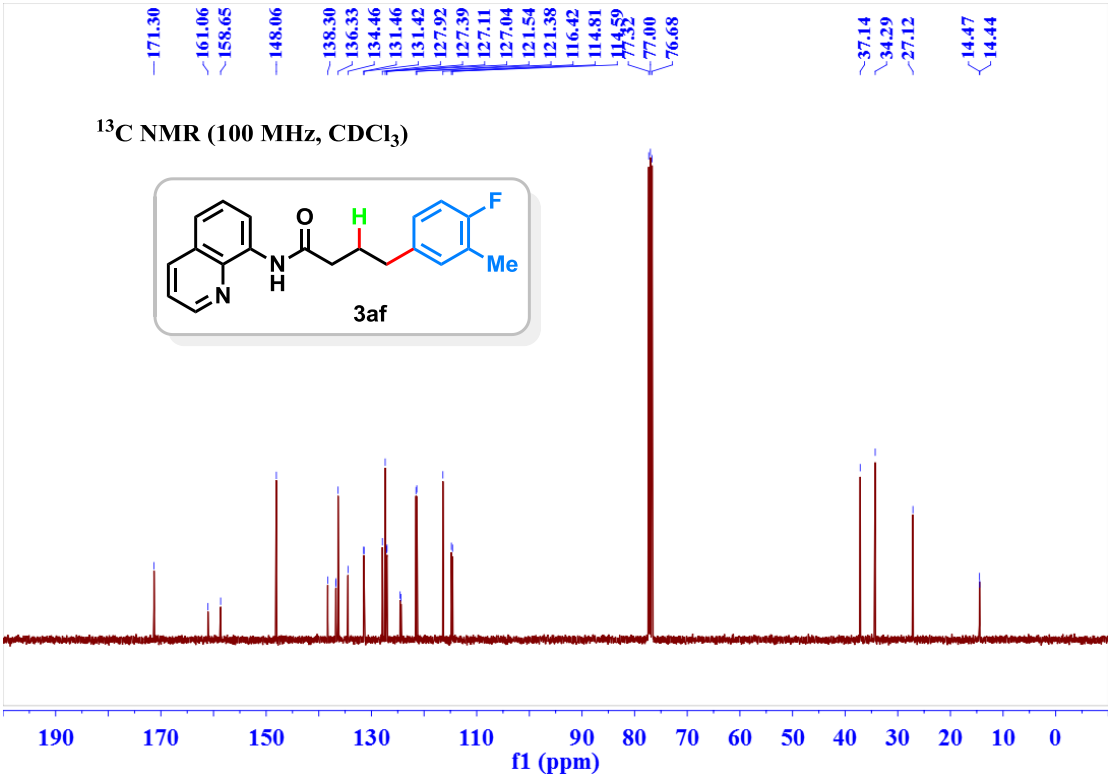
4-(2,5-dimethylphenyl)-N-(quinolin-8-yl)butanamide (3ae)



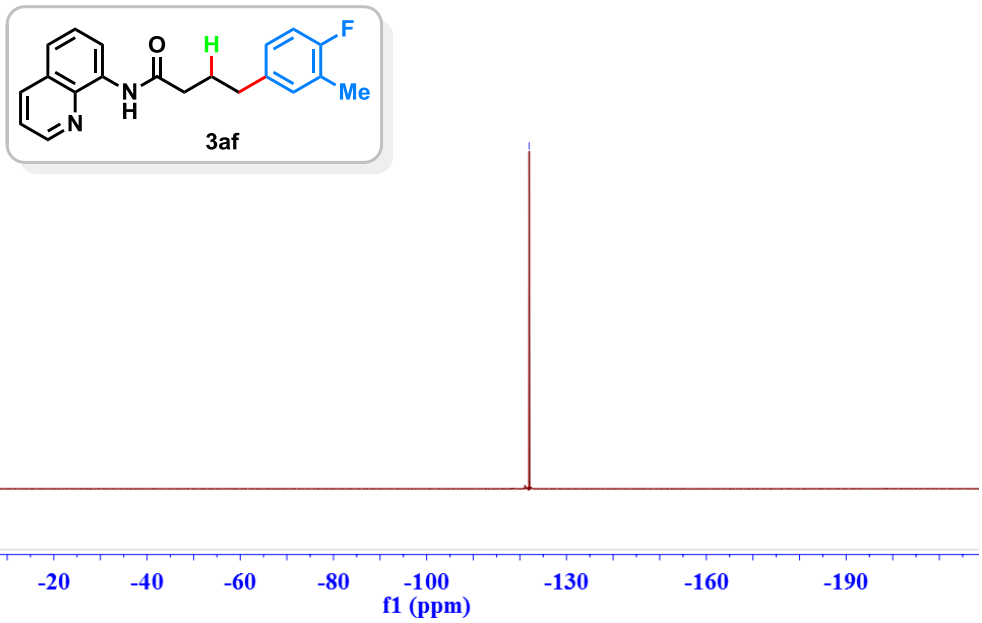


4-(4-fluoro-3-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3af)

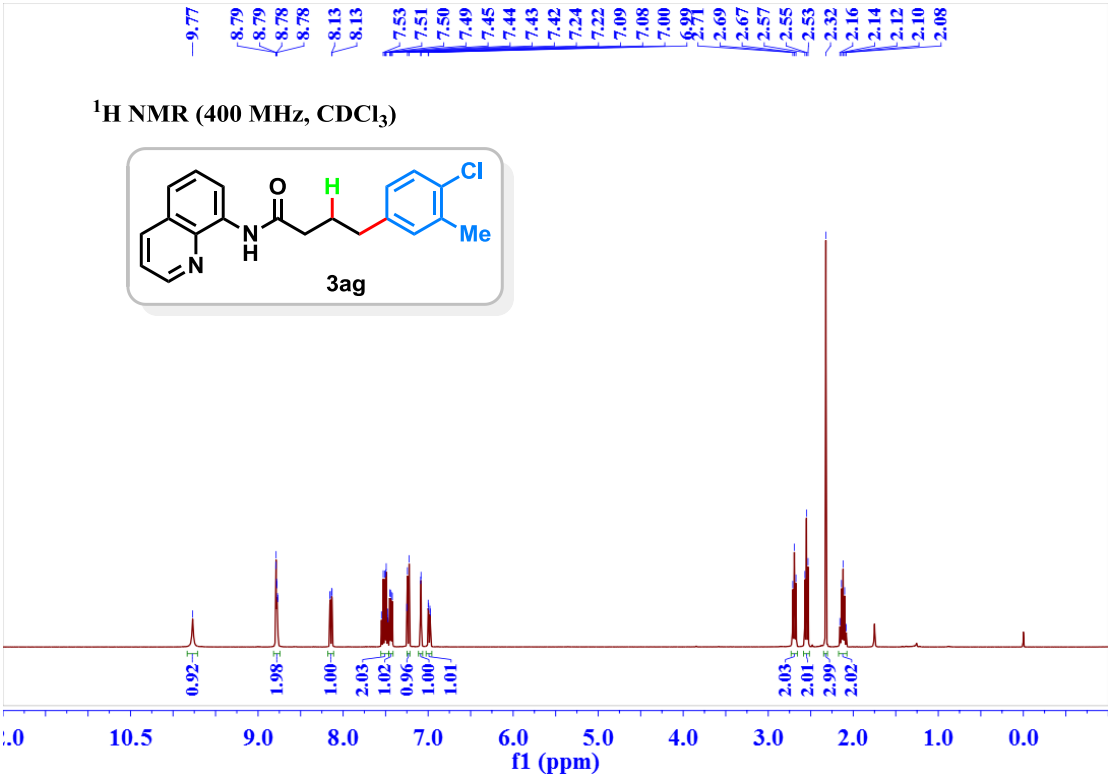


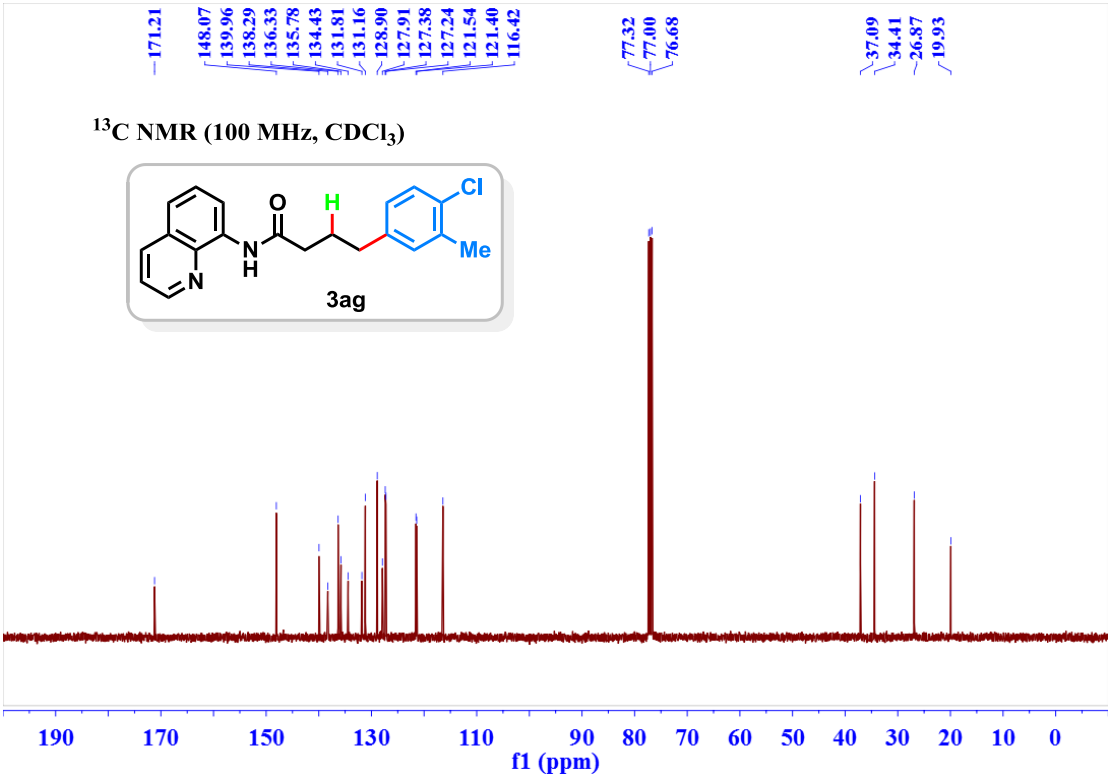


^{19}F NMR (376 MHz, $CDCl_3$)

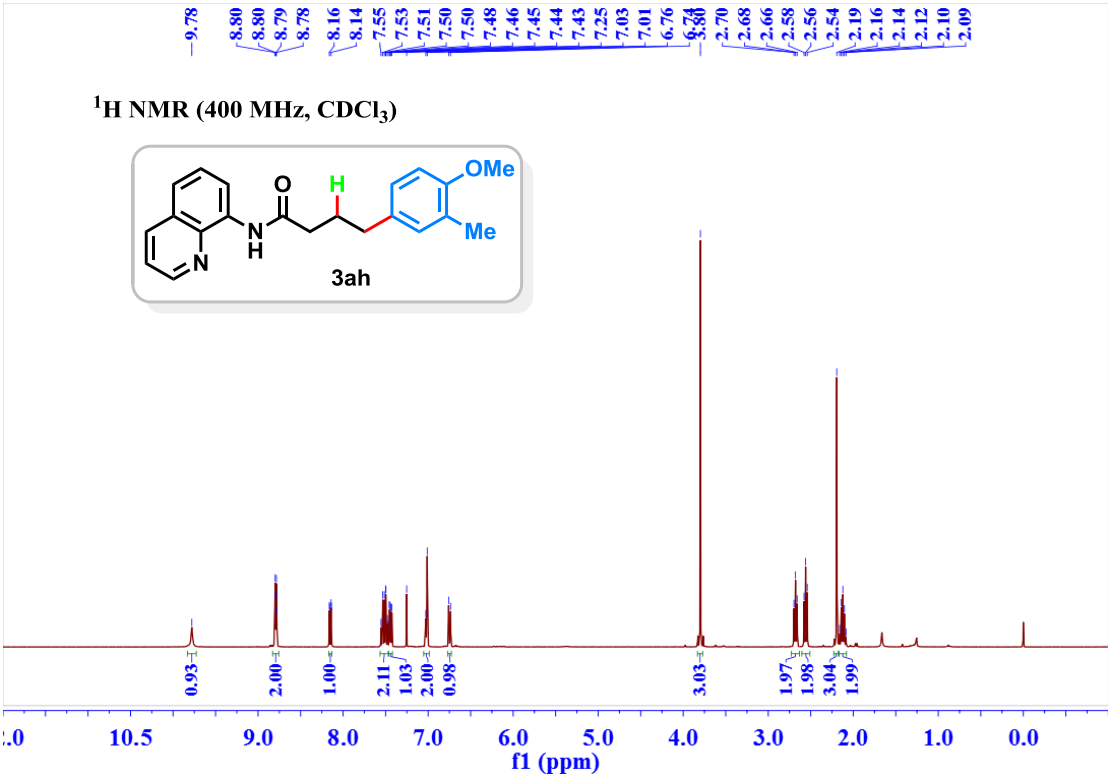


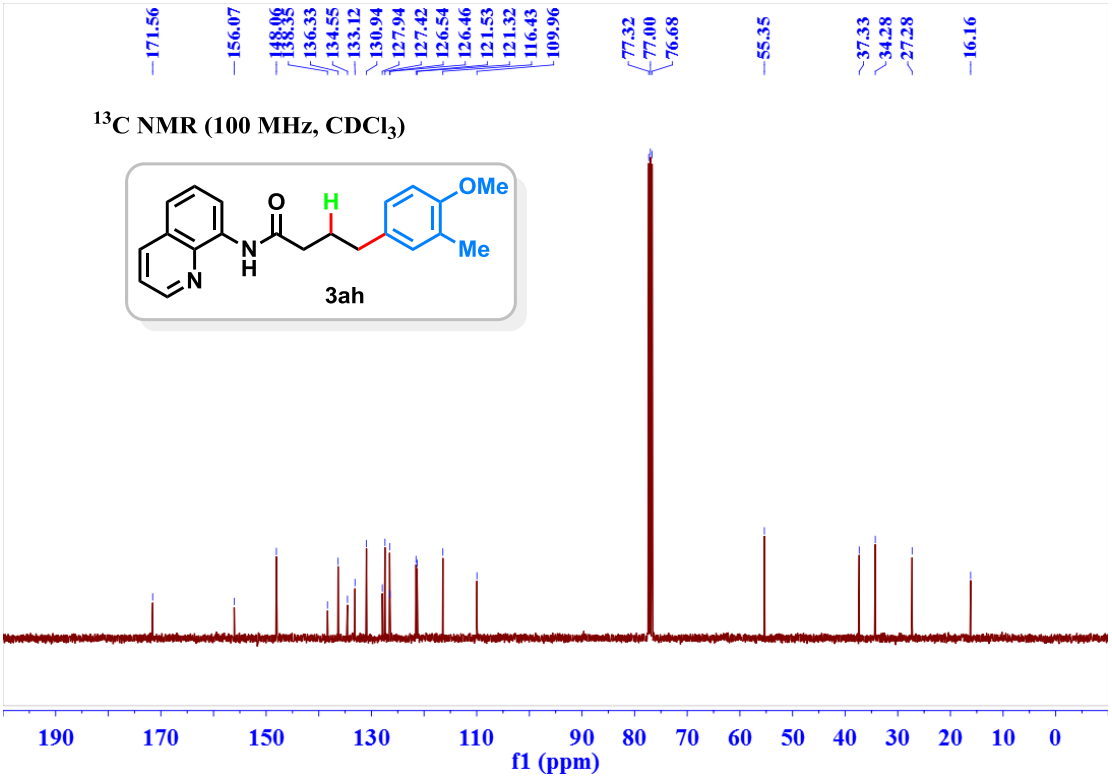
4-(4-chloro-3-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ag)



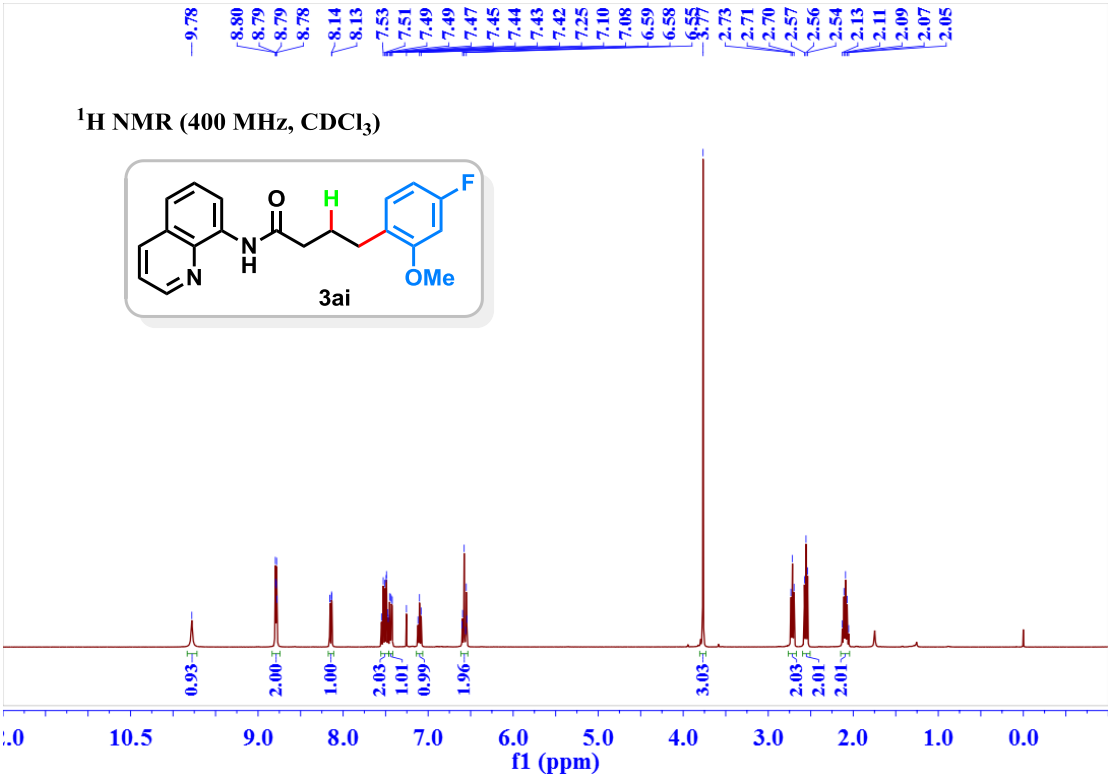


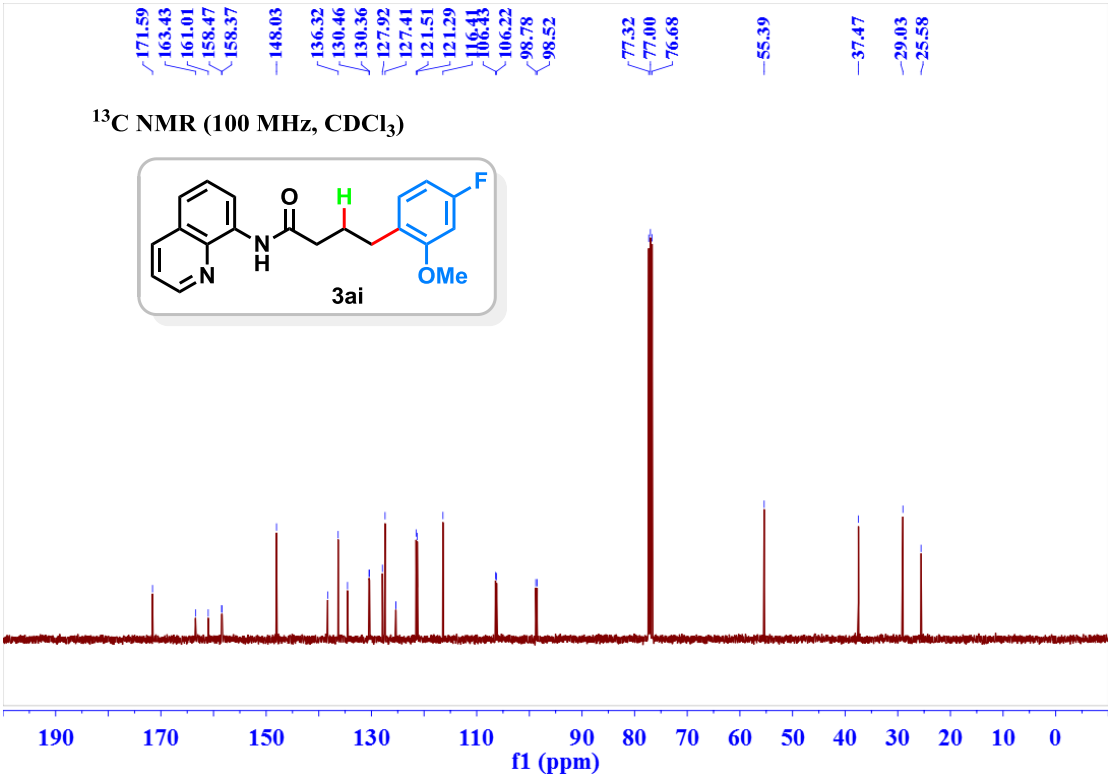
4-(4-methoxy-3-methylphenyl)-*N*-(quinolin-8-yl)butanamide (3ah)

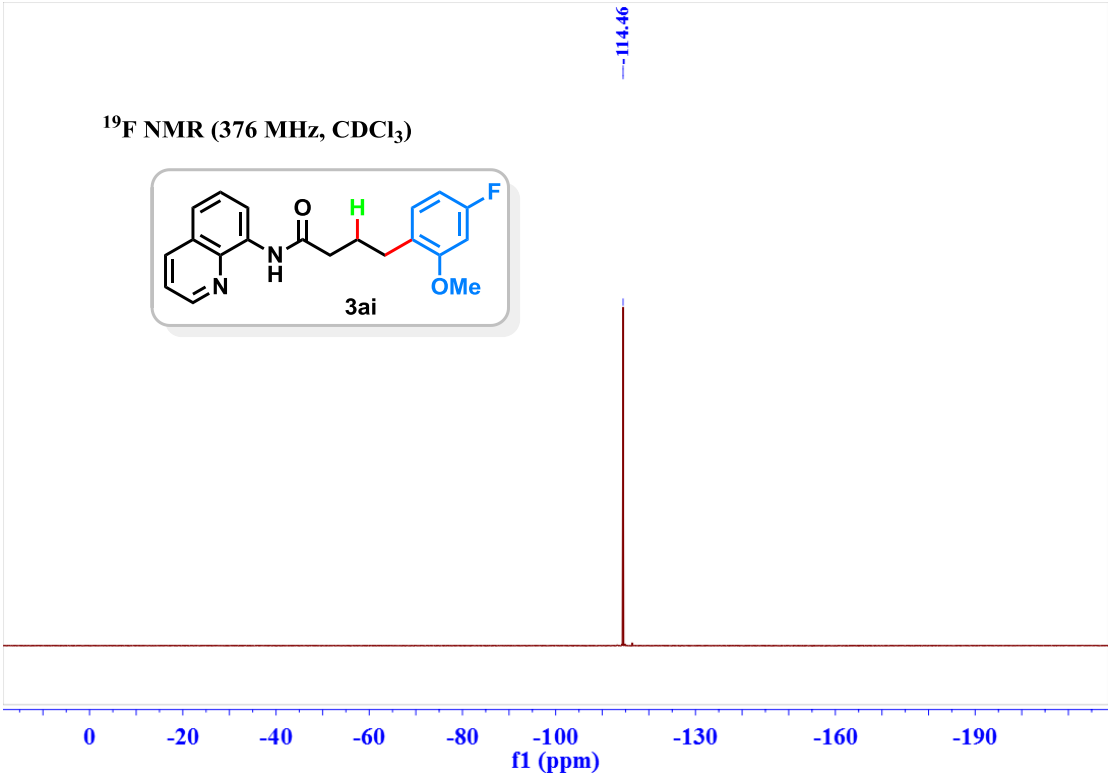




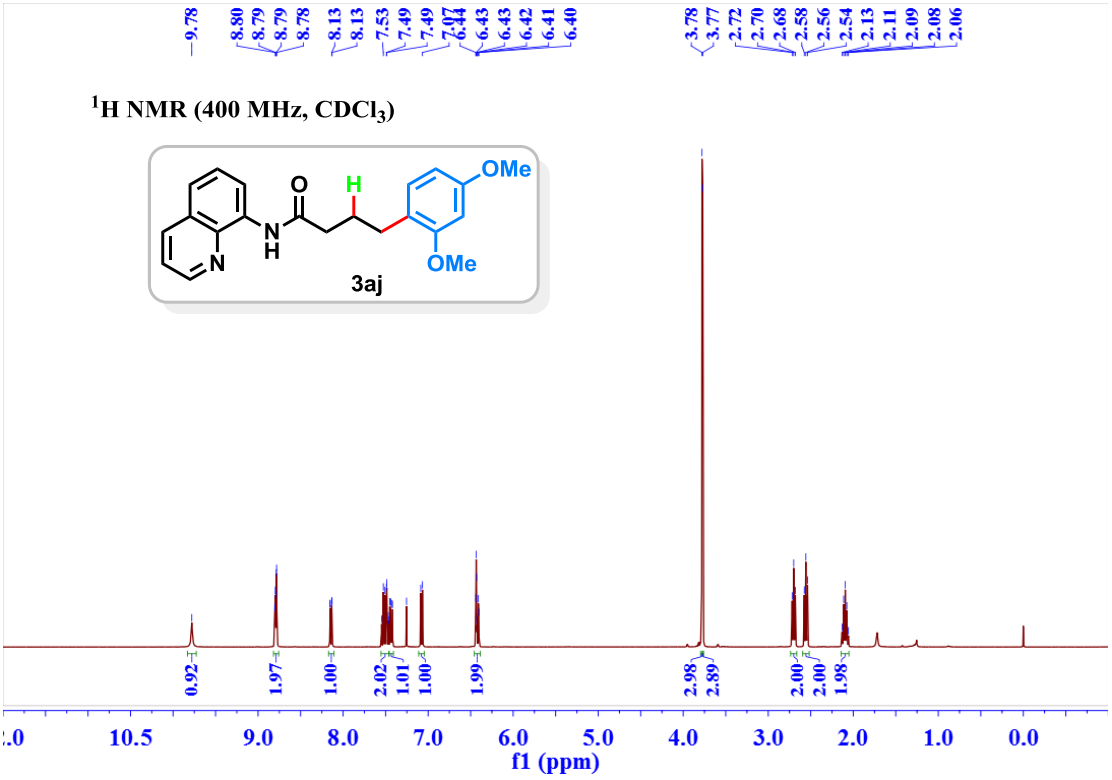
4-(4-fluoro-2-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3ai)

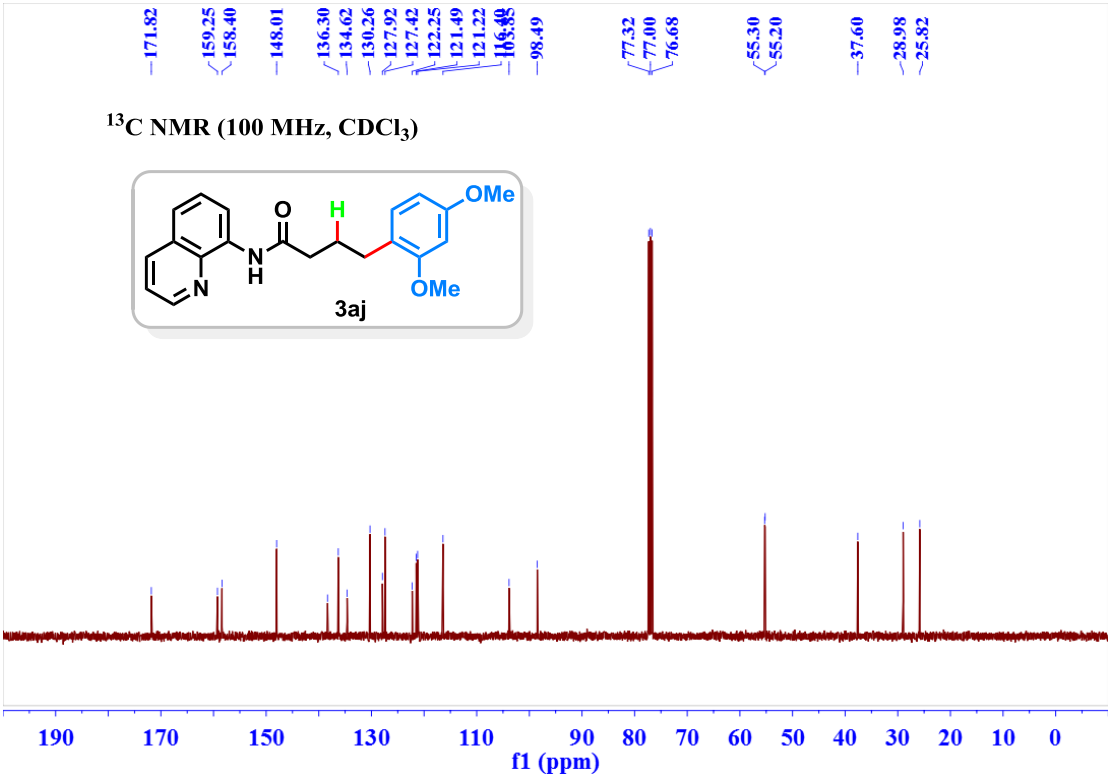




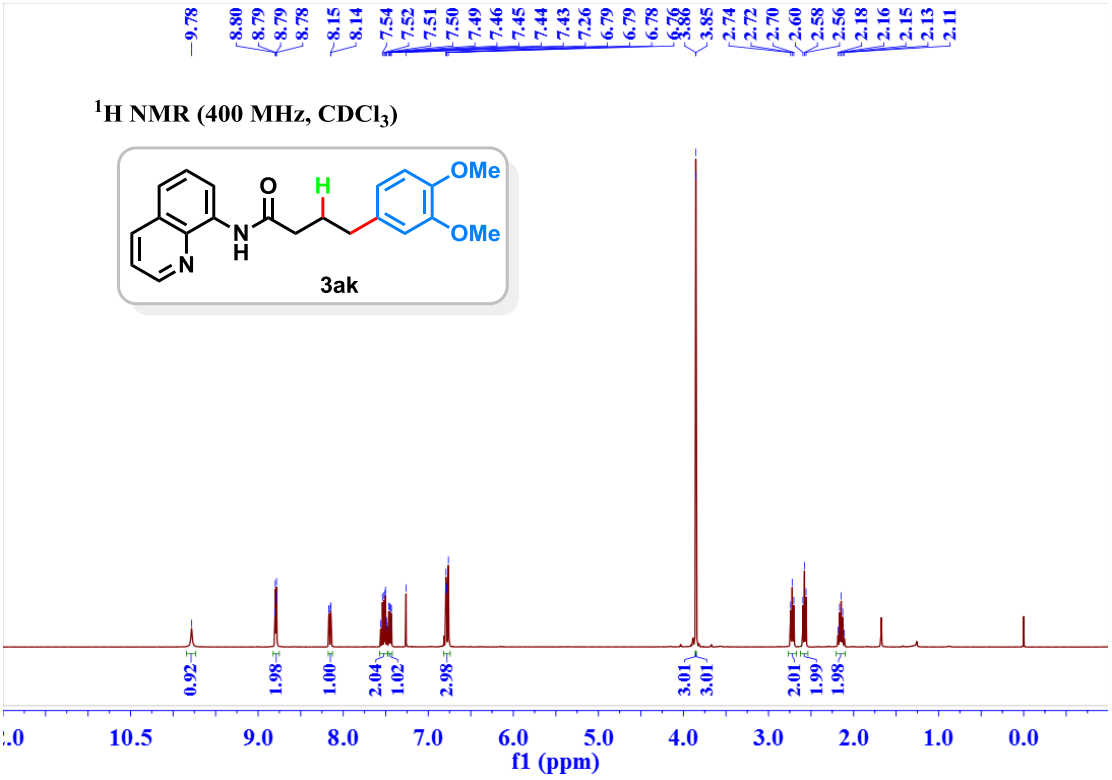

-114.46
19F NMR (376 MHz, CDCl3)
O
H
F
N
H
N
OMe
3ai
0
-20
-40
-60
-80
-100
-130
-160
-190
f1 (ppm)

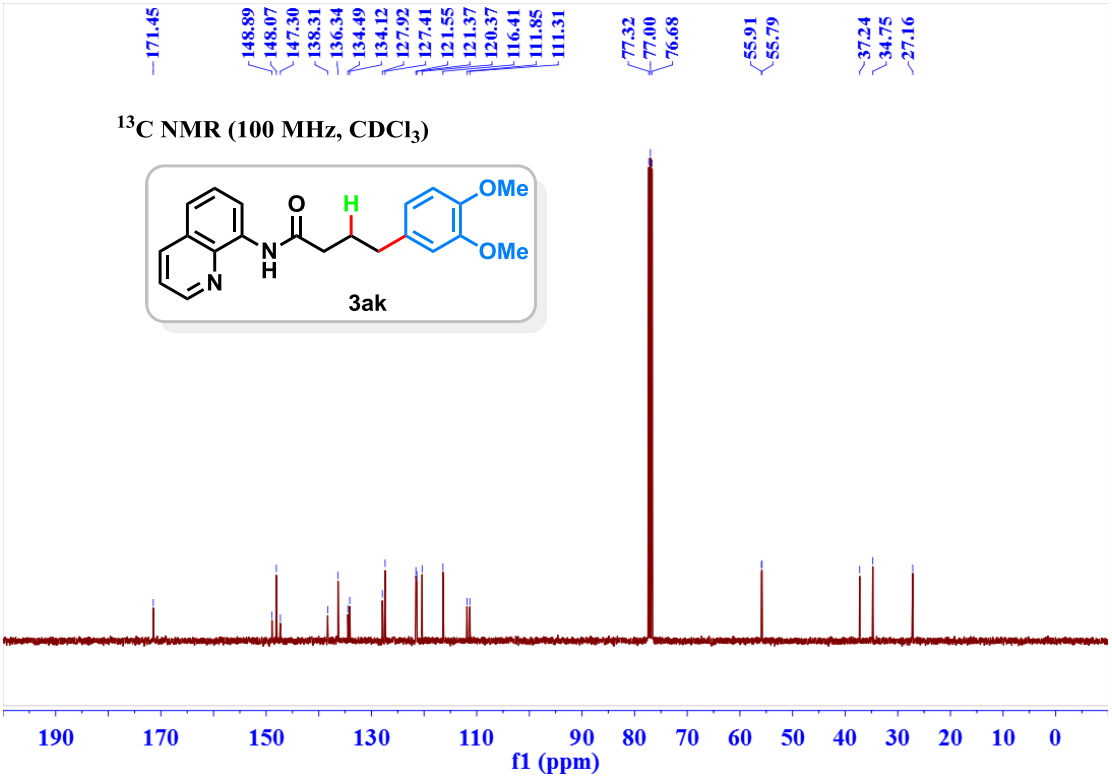
4-(2,4-dimethoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3aj)



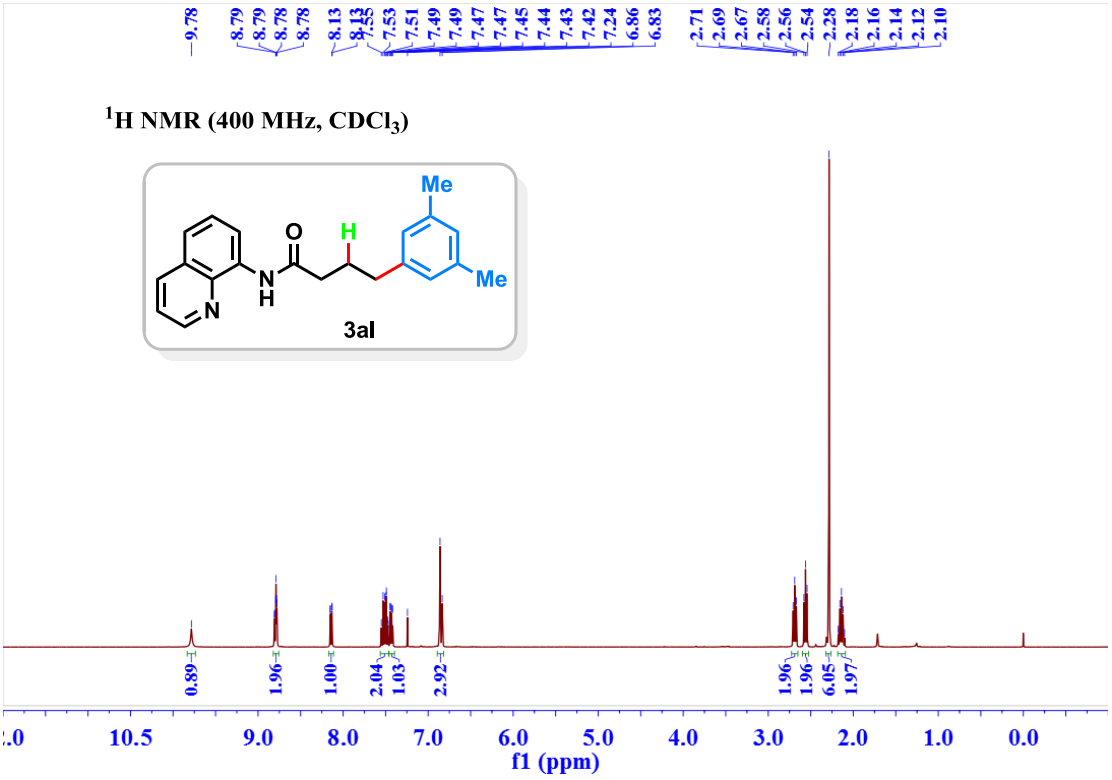


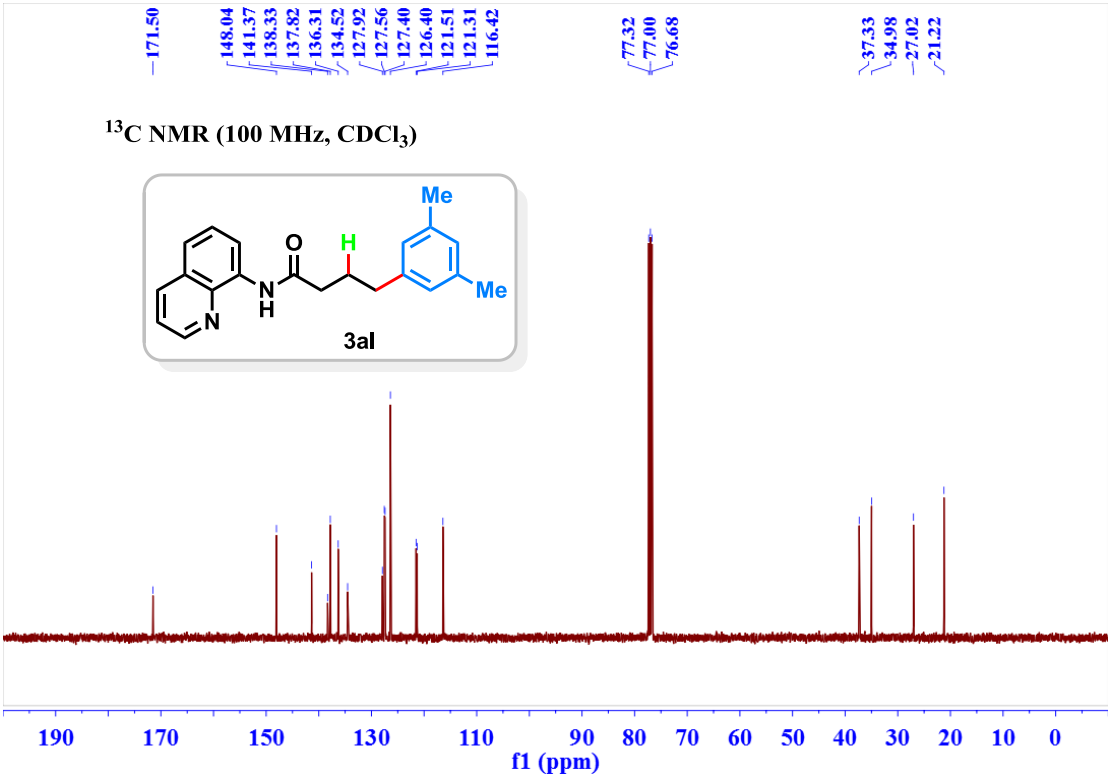
4-(3,4-dimethoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3ak)



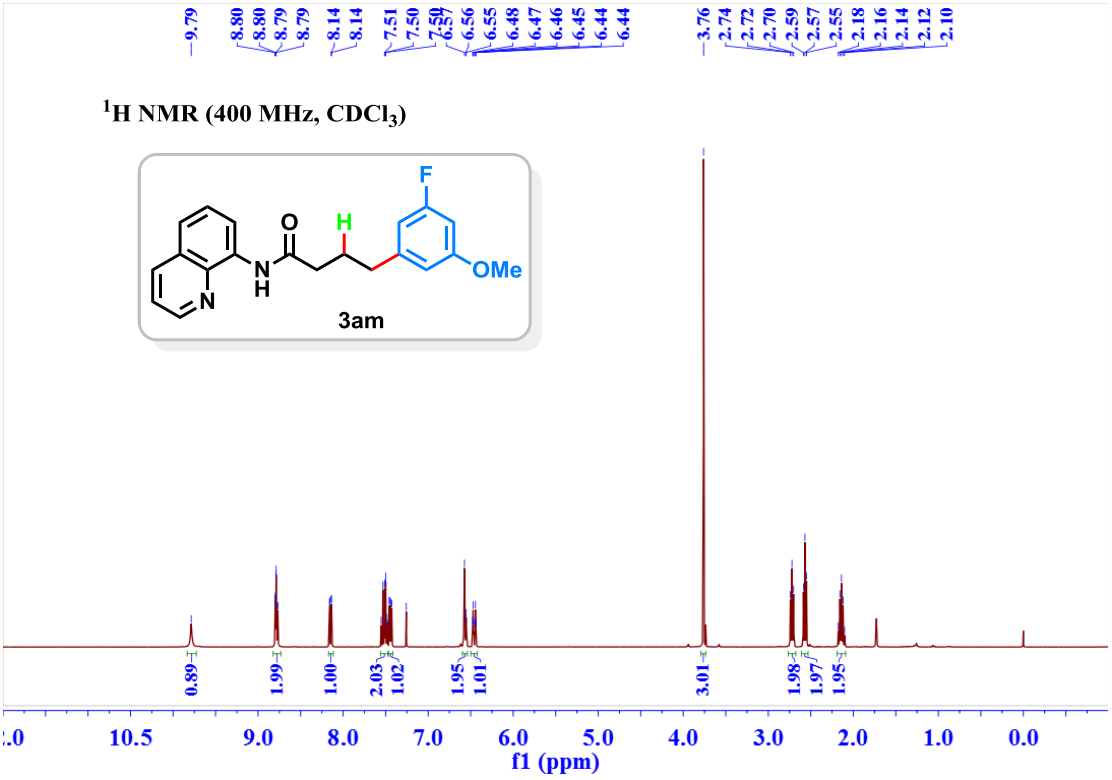


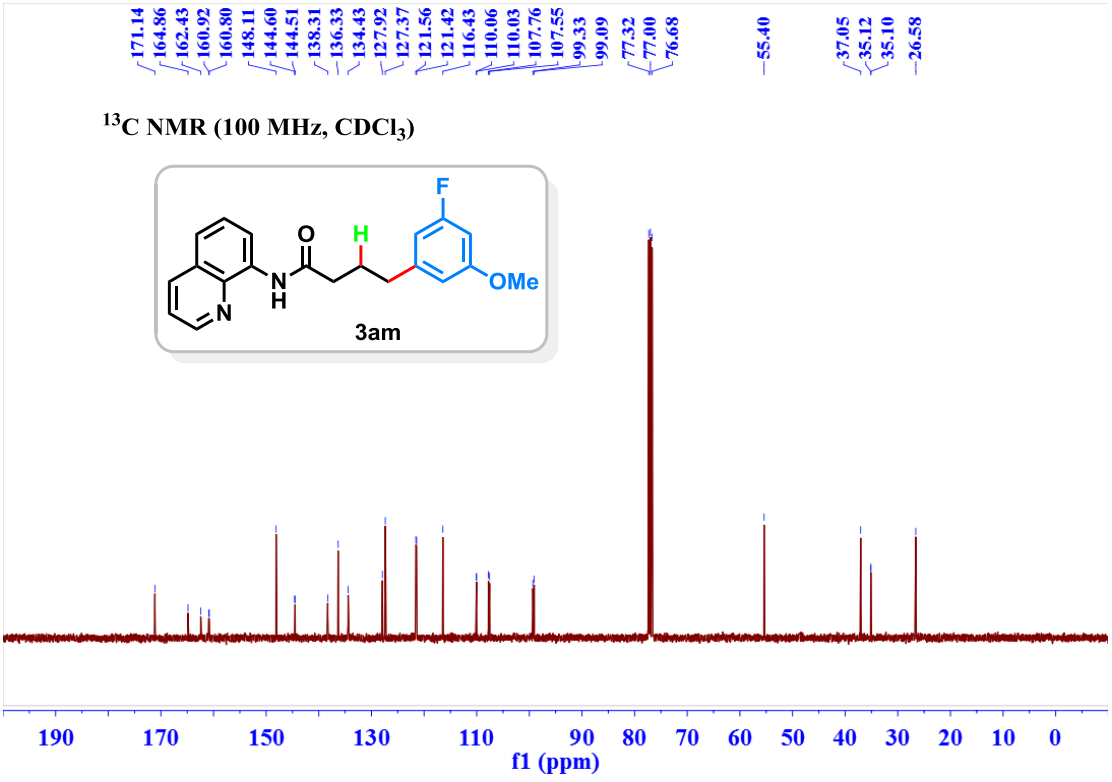
4-(3,5-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3al)



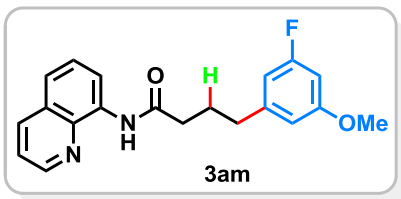


4-(3-fluoro-5-methoxyphenyl)-*N*-(quinolin-8-yl)butanamide (3am)



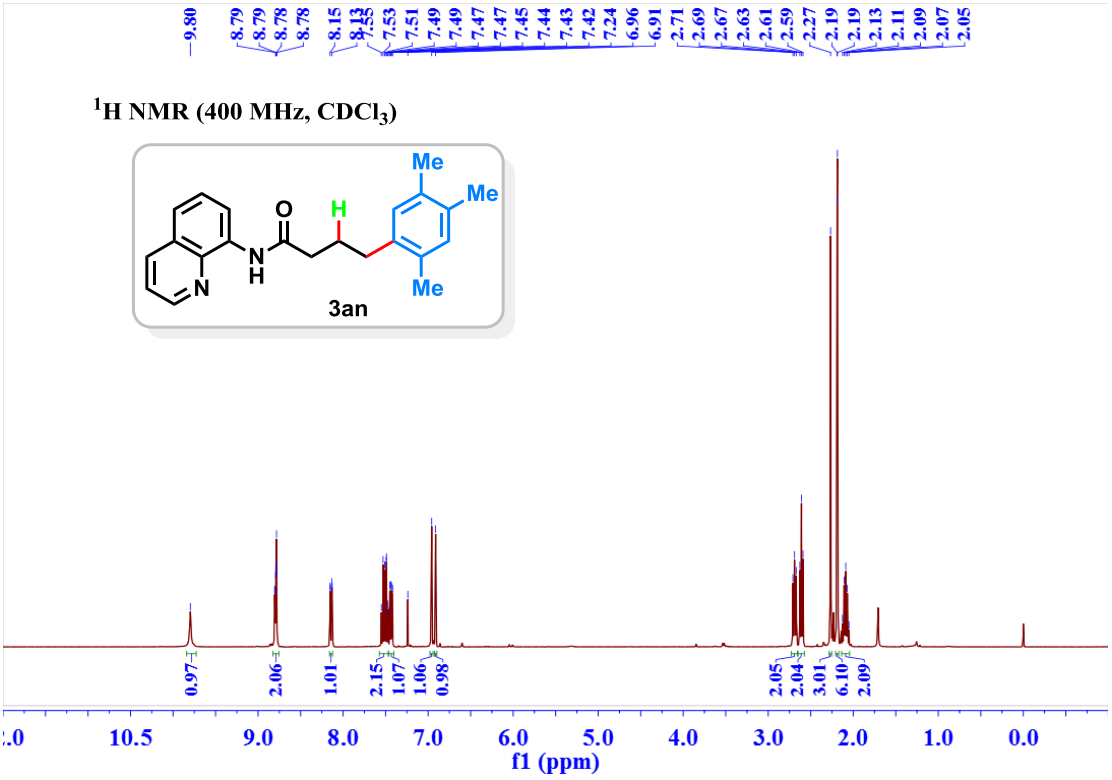


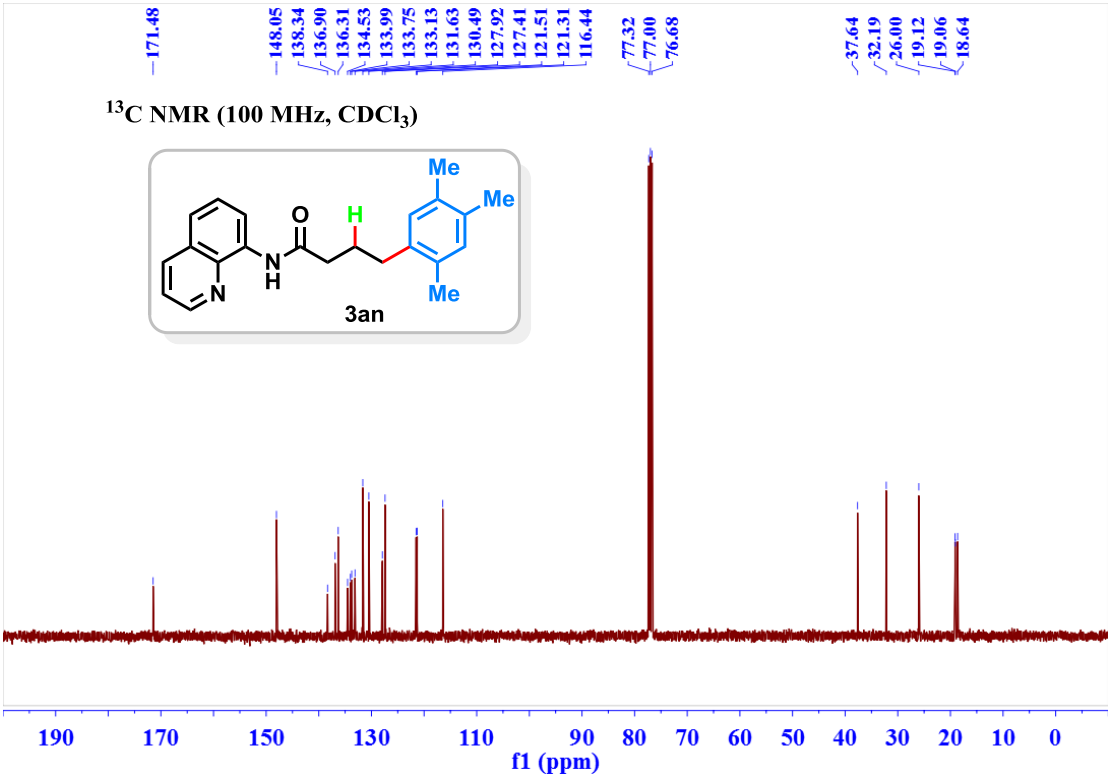
^{19}F NMR (376 MHz, $CDCl_3$)



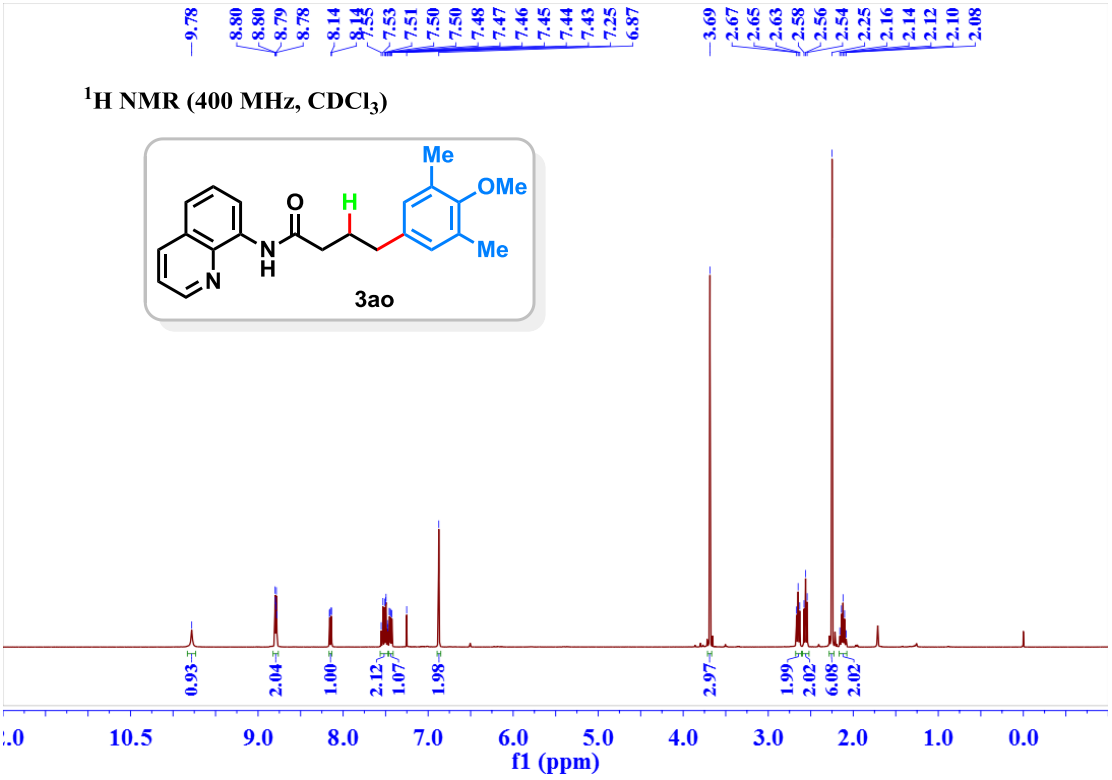
3am

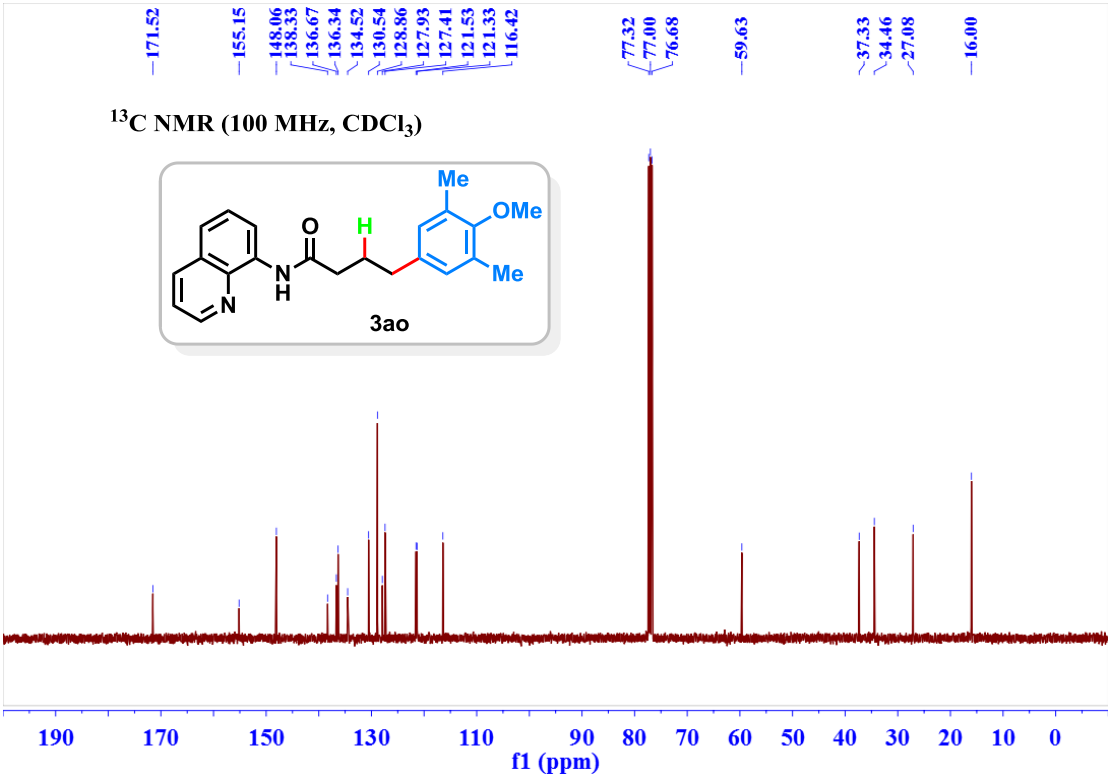
N-(quinolin-8-yl)-4-(2,4,5-trimethylphenyl)butanamide (3an)



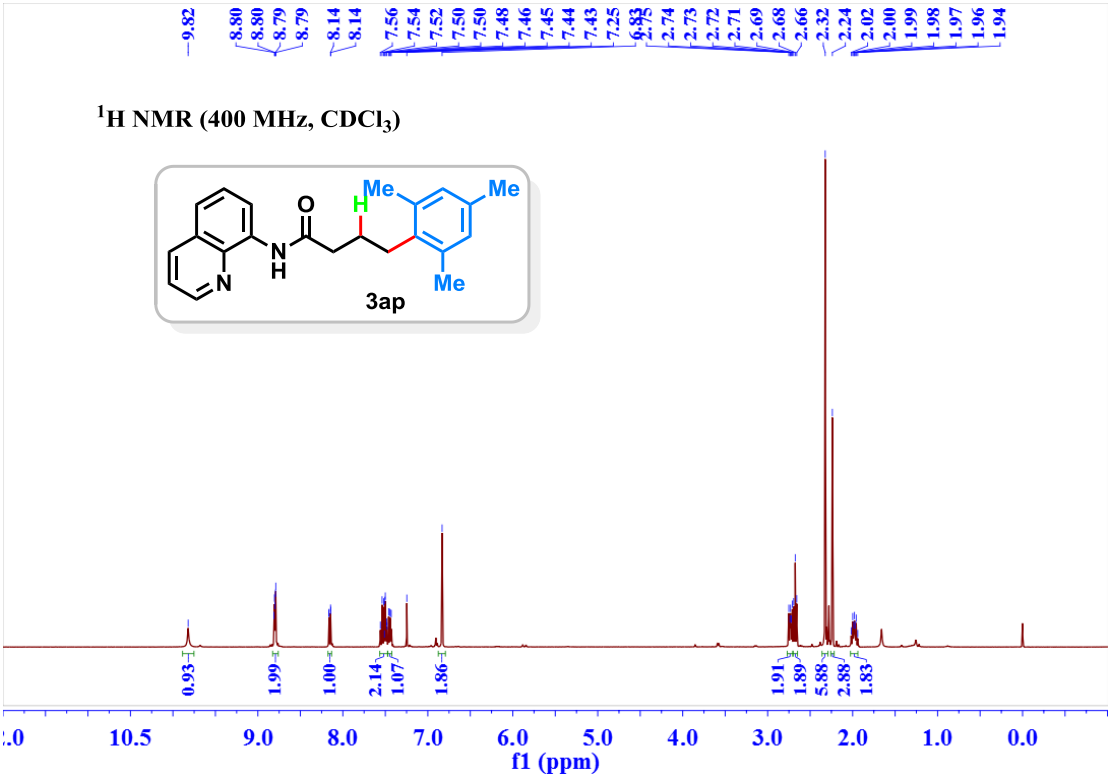


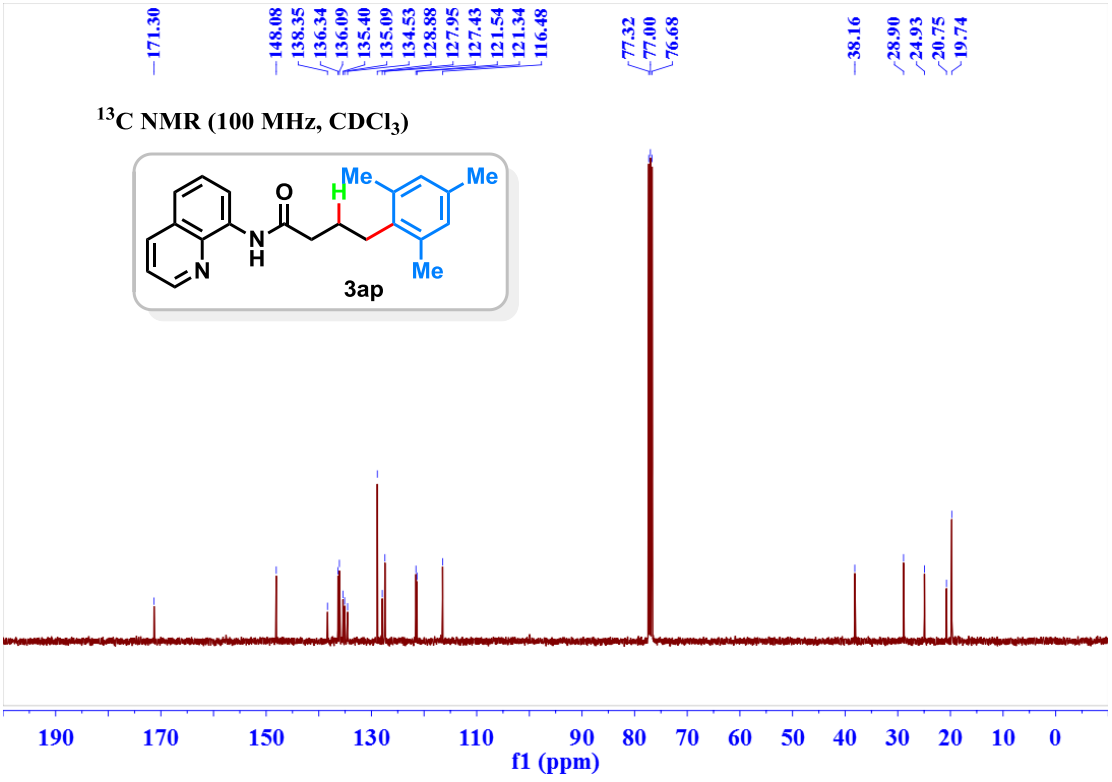
4-(4-methoxy-3,5-dimethylphenyl)-*N*-(quinolin-8-yl)butanamide (3ao)



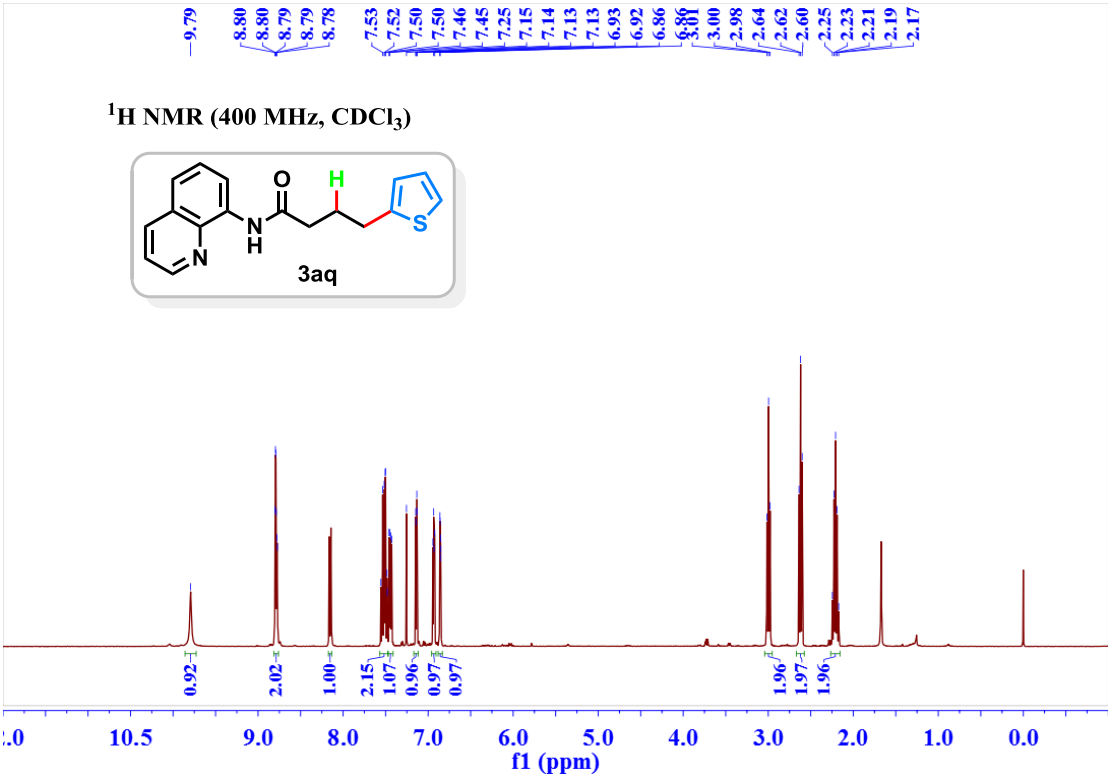


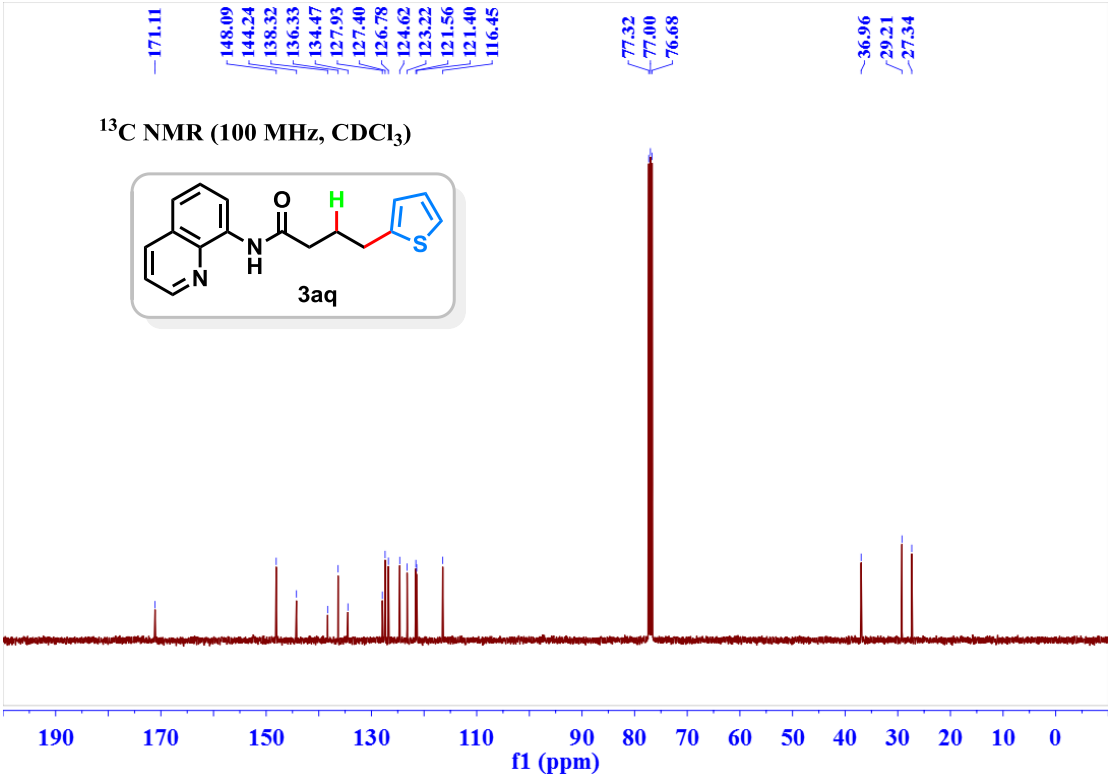
4-mesityl-*N*-(quinolin-8-yl)butanamide (3ap)



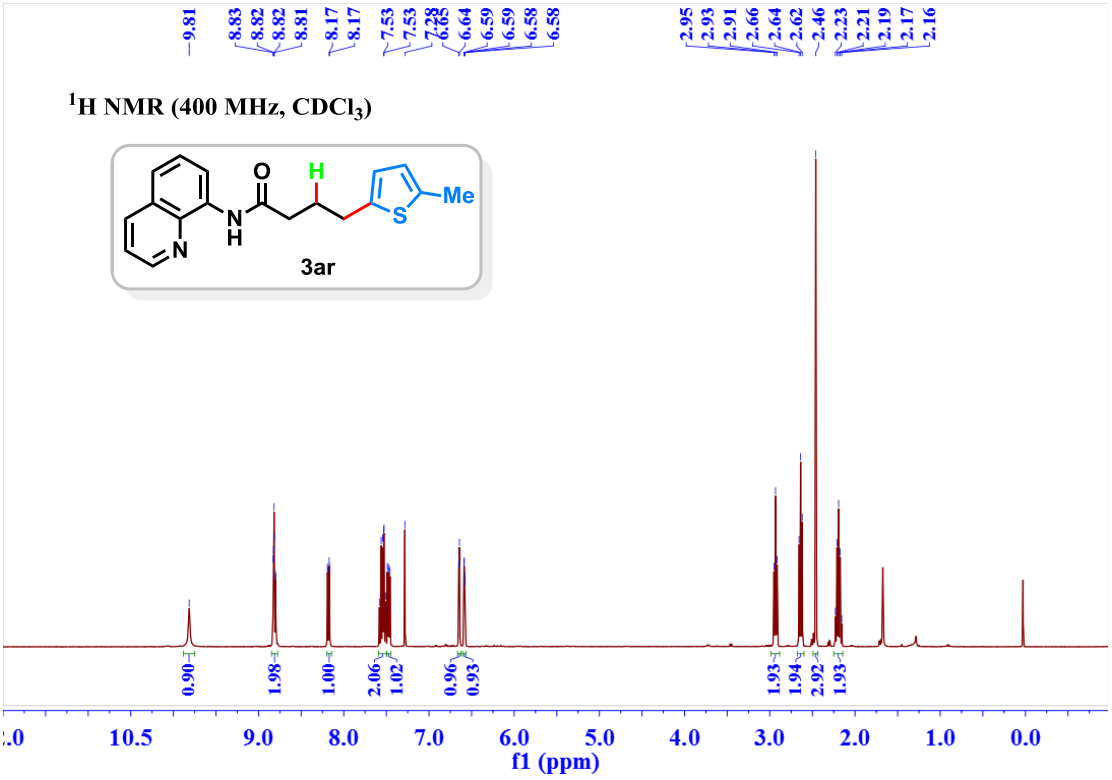


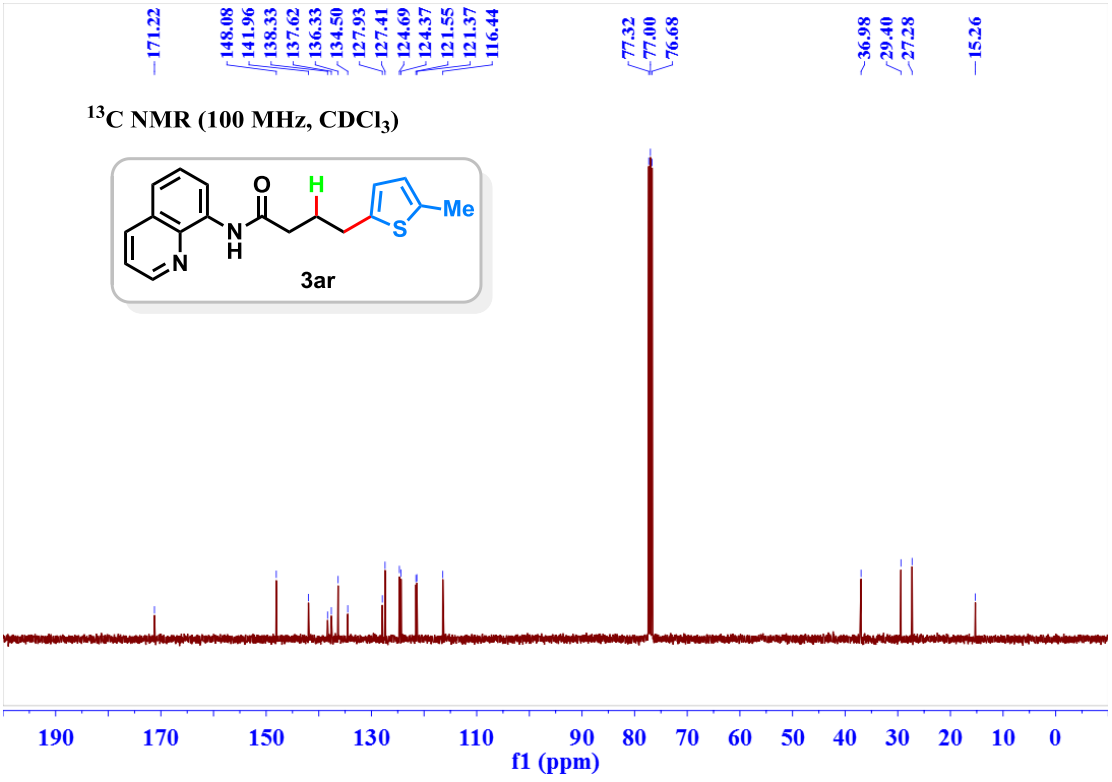
N-(quinolin-8-yl)-4-(thiophen-2-yl)butanamide (3aq)



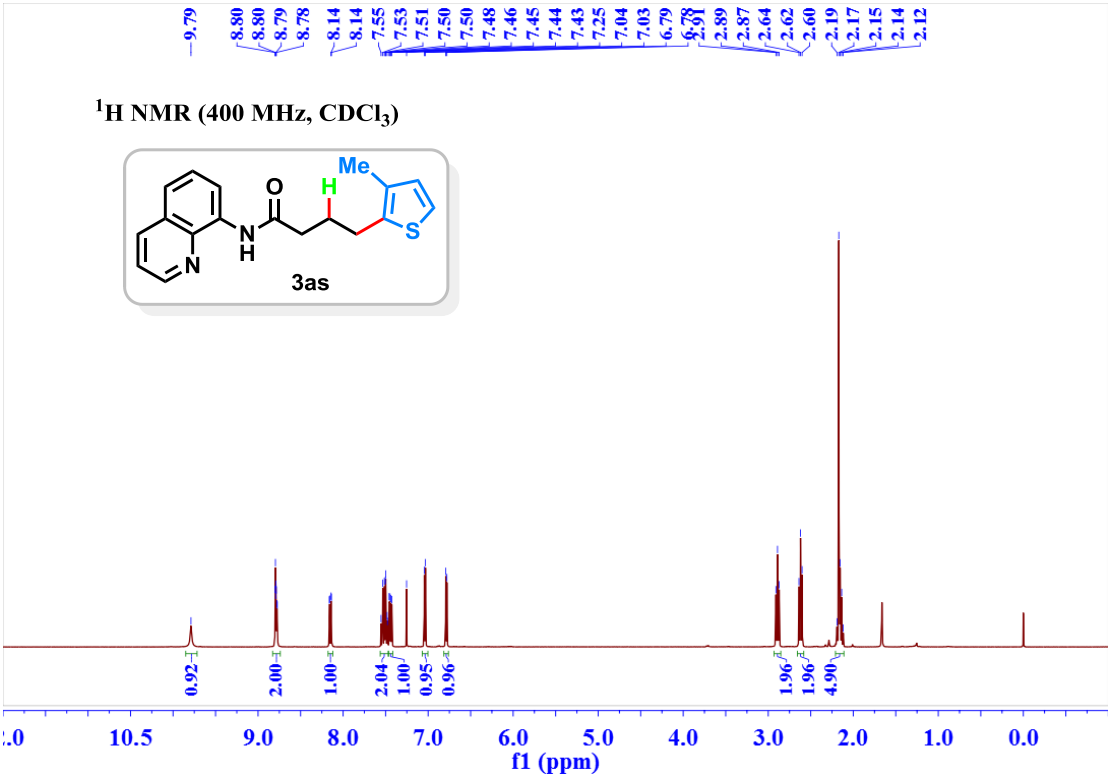


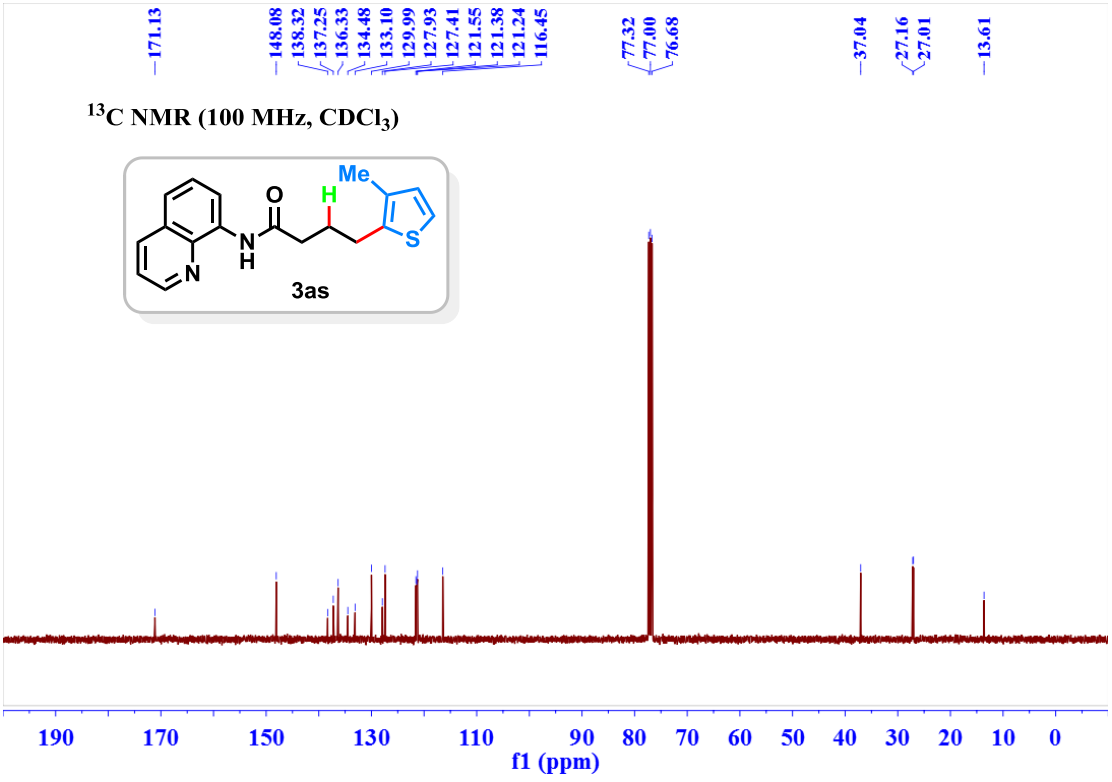
4-(5-methylthiophen-2-yl)-*N*-(quinolin-8-yl)butanamide (3ar)



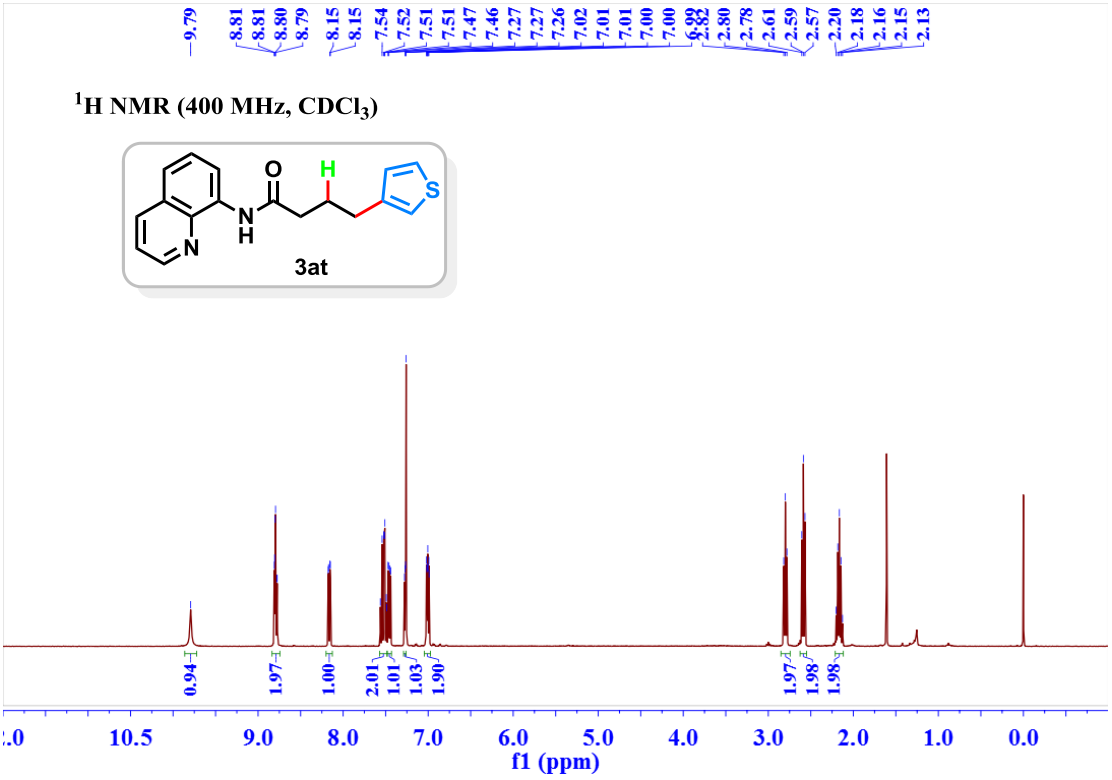


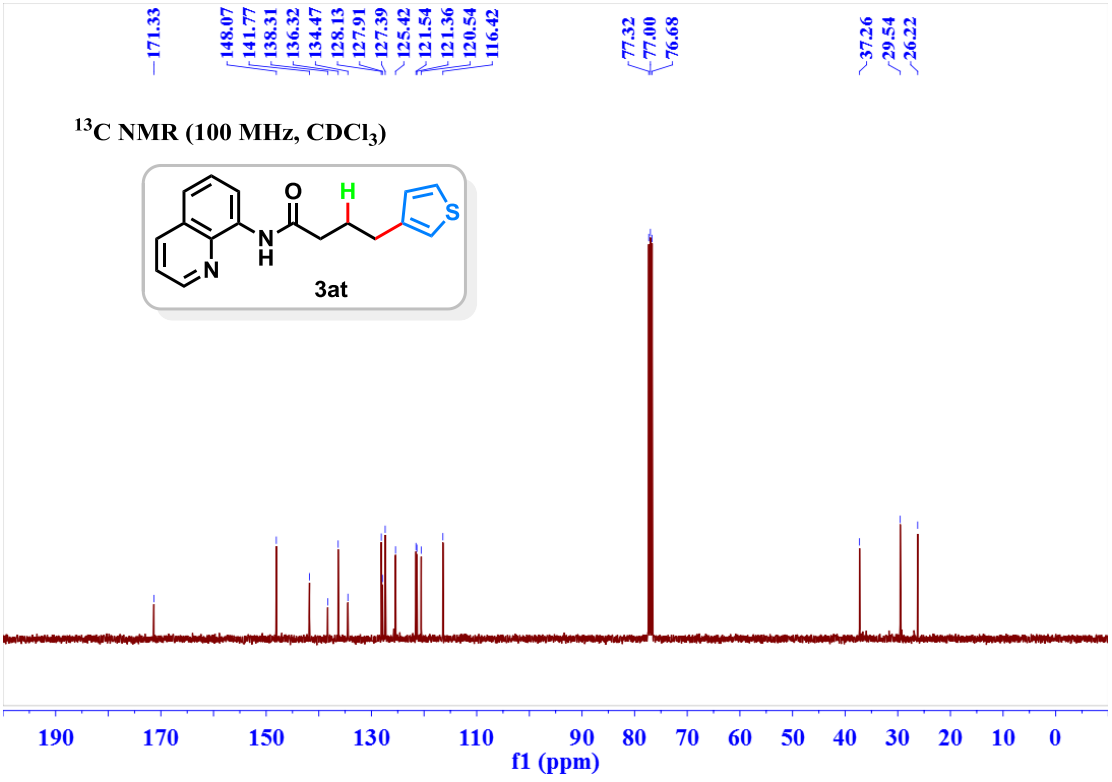
4-(3-methylthiophen-2-yl)-*N*-(quinolin-8-yl)butanamide (3as)



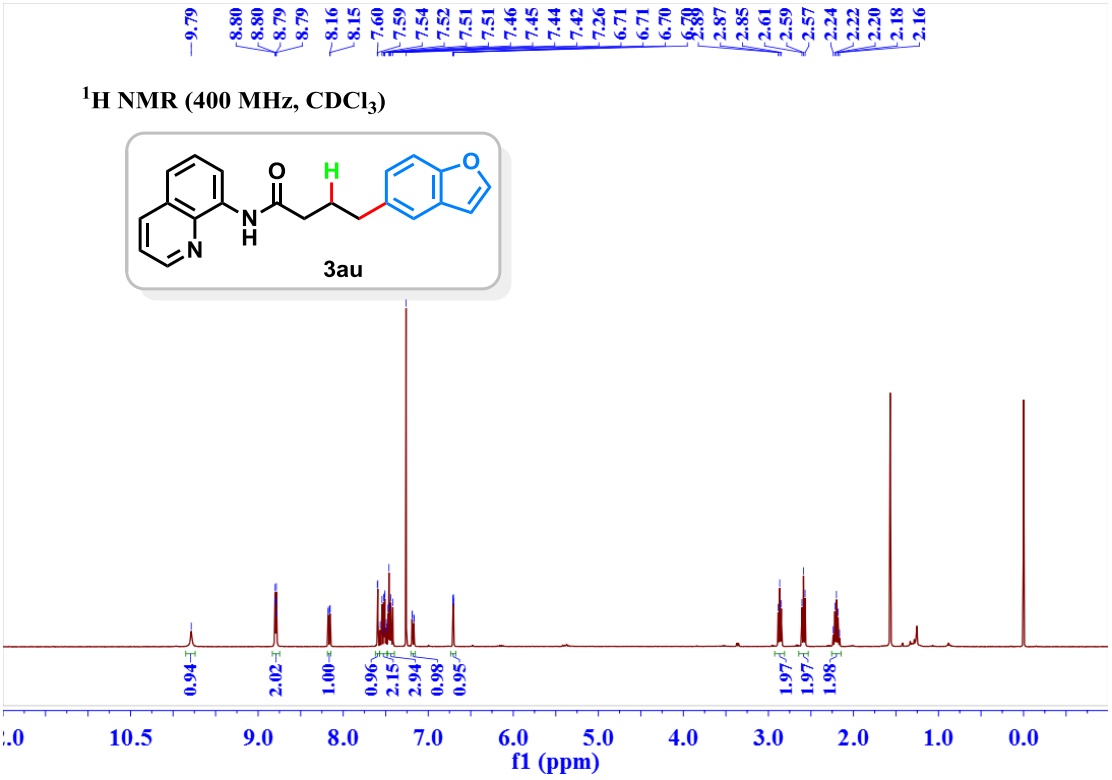


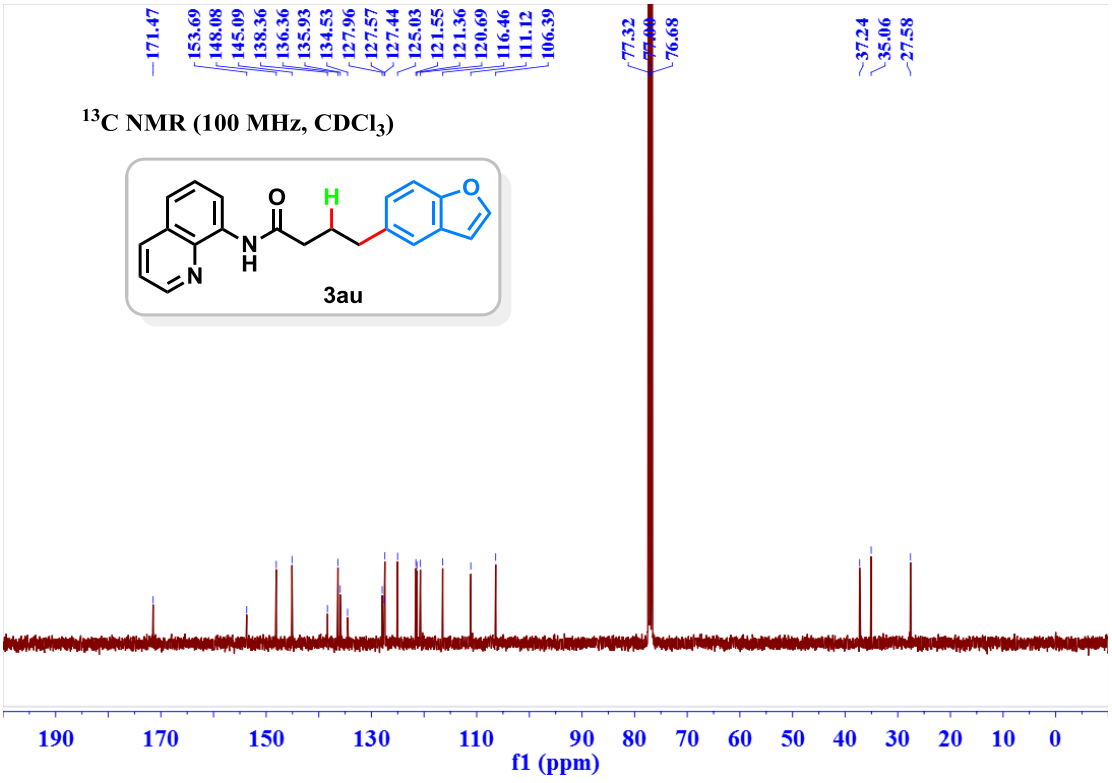
N-(quinolin-8-yl)-4-(thiophen-3-yl)butanamide (3at)



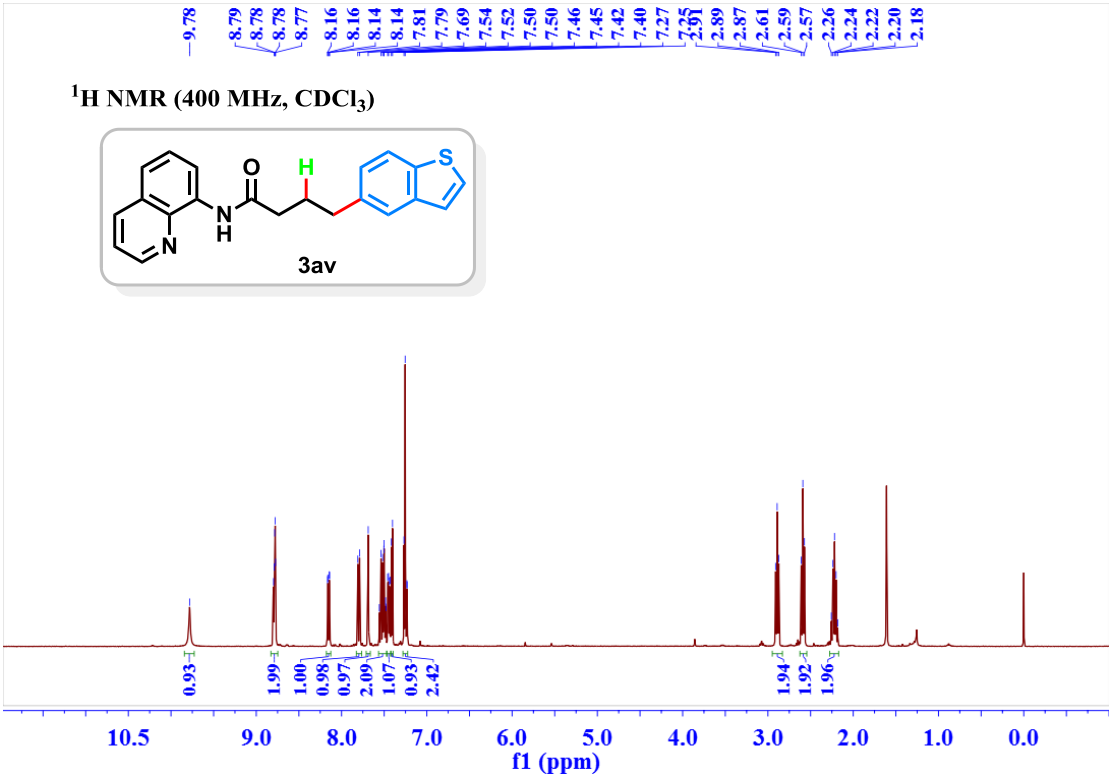


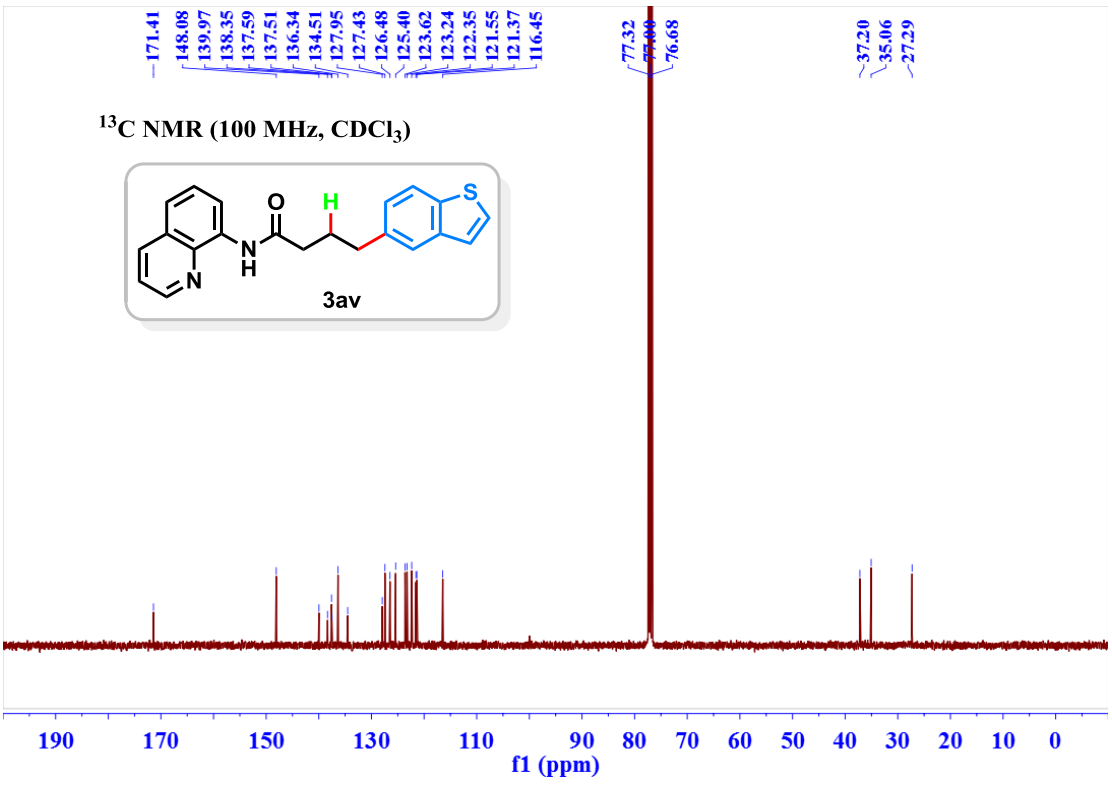
4-(benzofuran-5-yl)-*N*-(quinolin-8-yl)butanamide (3au)



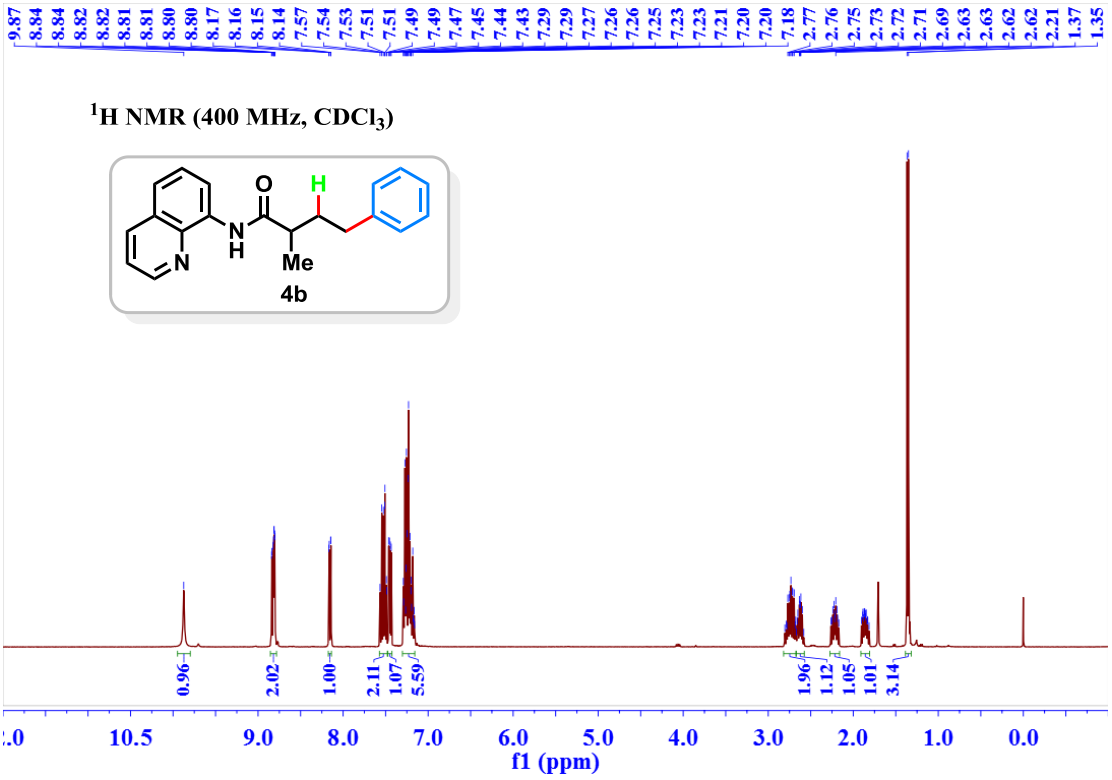


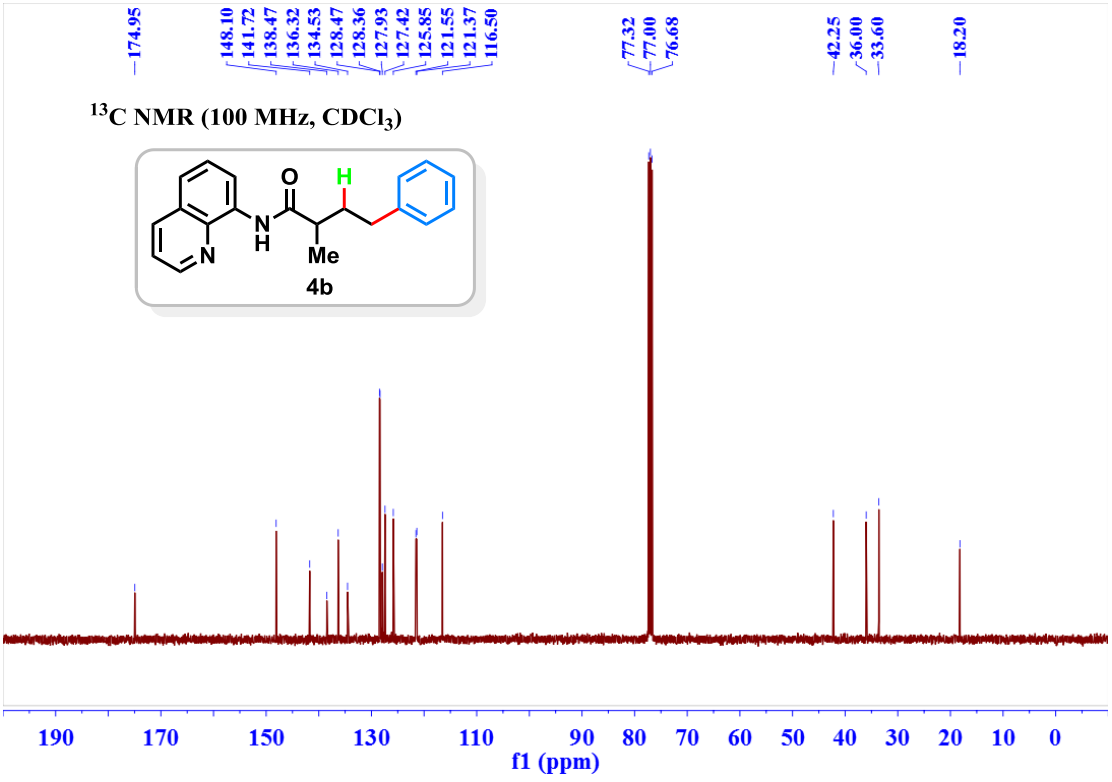
4-(benzo[*b*]thiophen-5-yl)-*N*-(quinolin-8-yl)butanamide (3av)



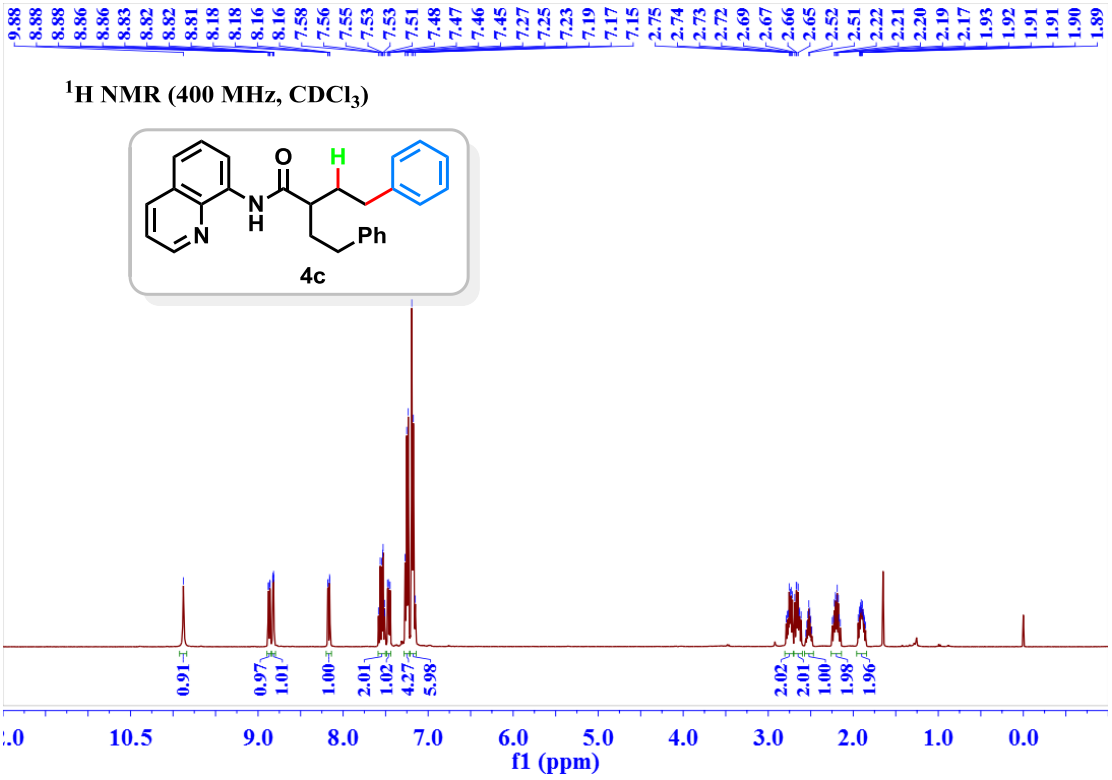


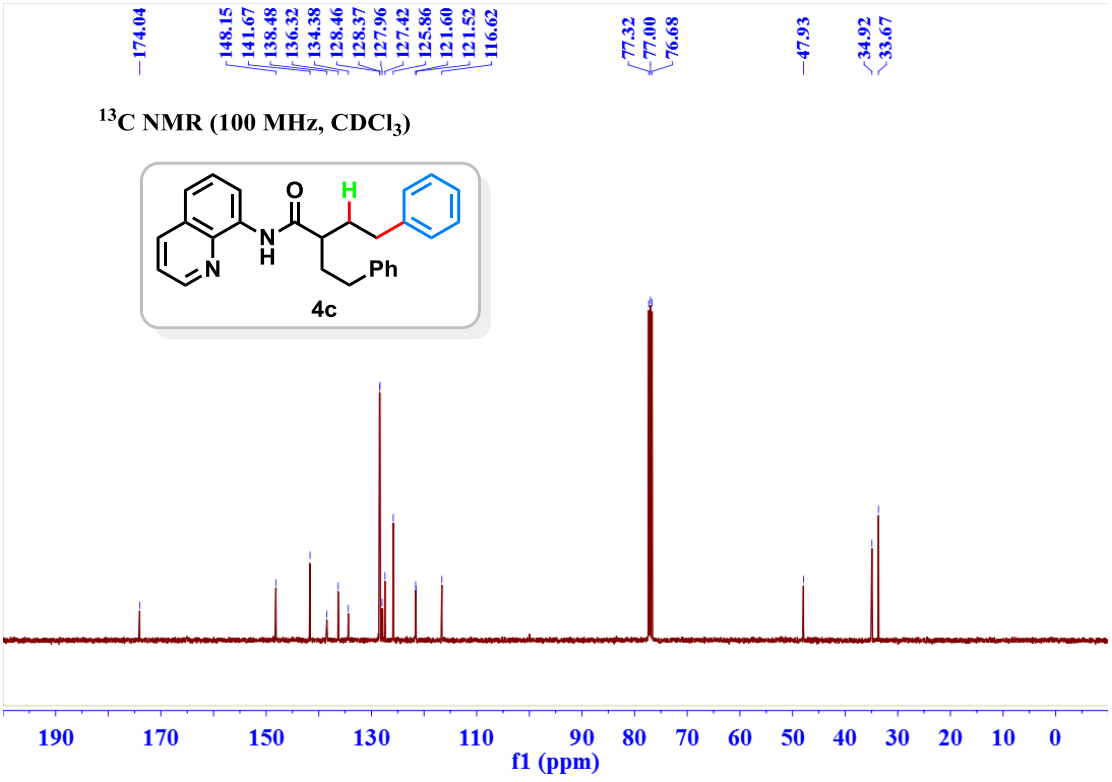
2-methyl-4-phenyl-*N*-(quinolin-8-yl)butanamide (4b)



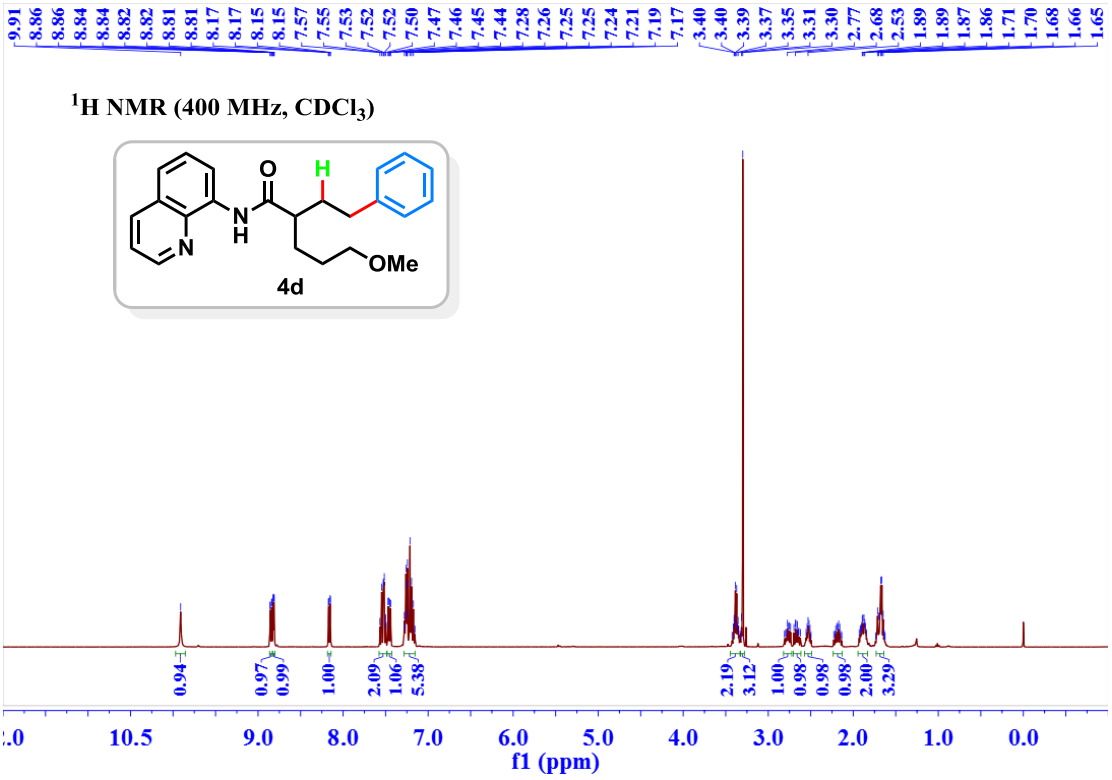


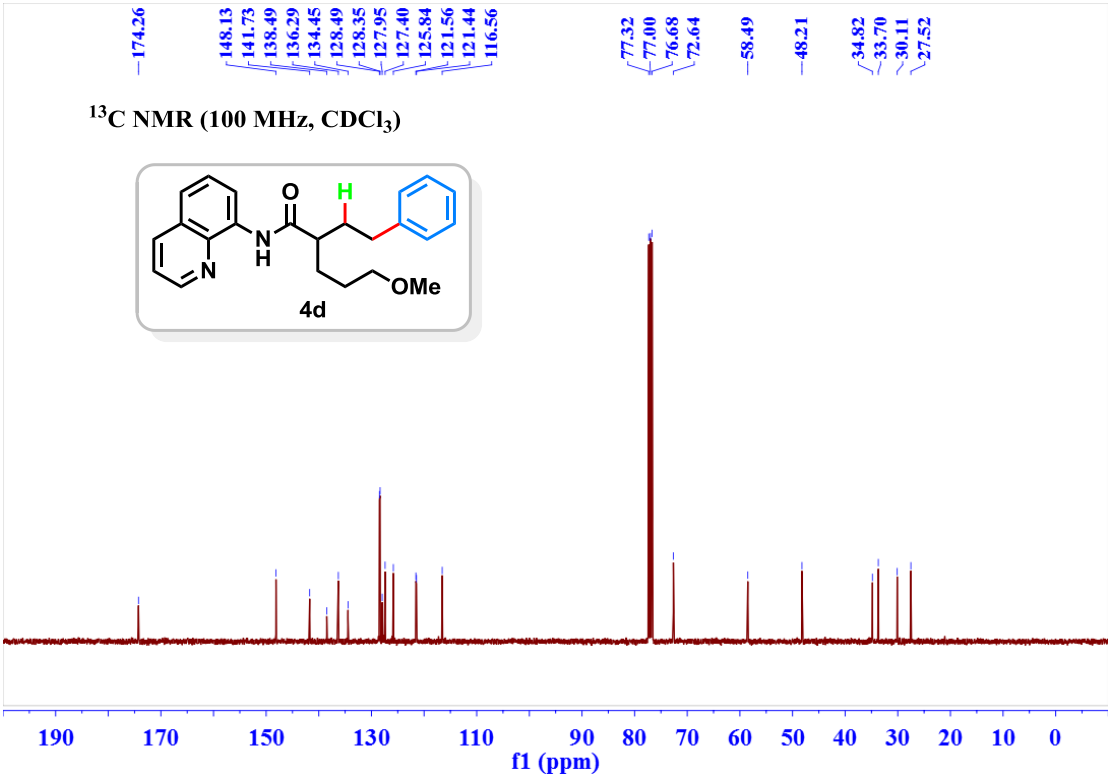
2-phenethyl-4-phenyl-*N*-(quinolin-8-yl)butanamide (4c)



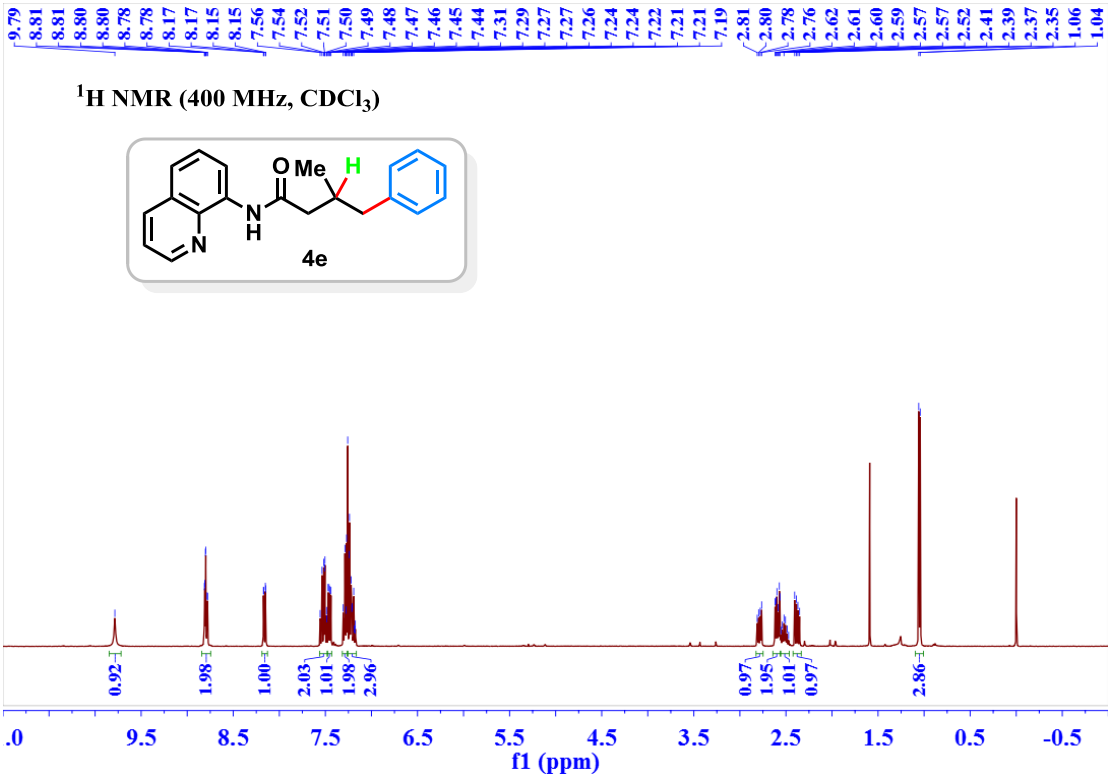


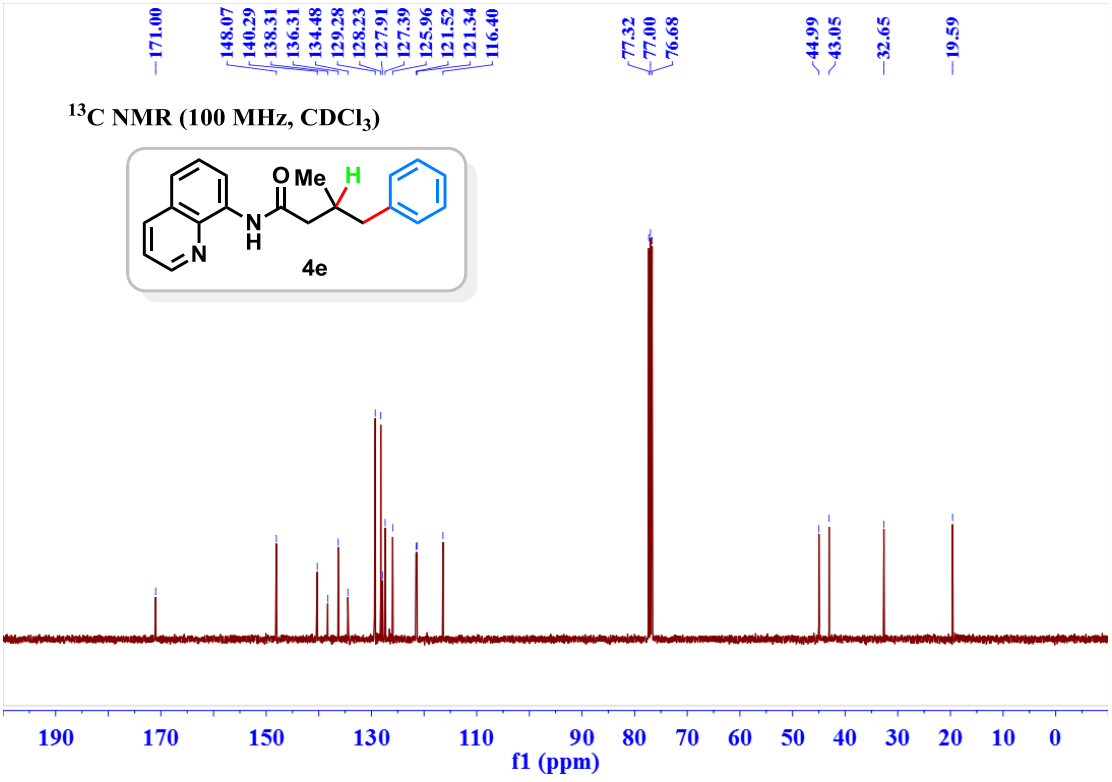
5-methoxy-2-phenethyl-*N*-(quinolin-8-yl)pentanamide (4d)



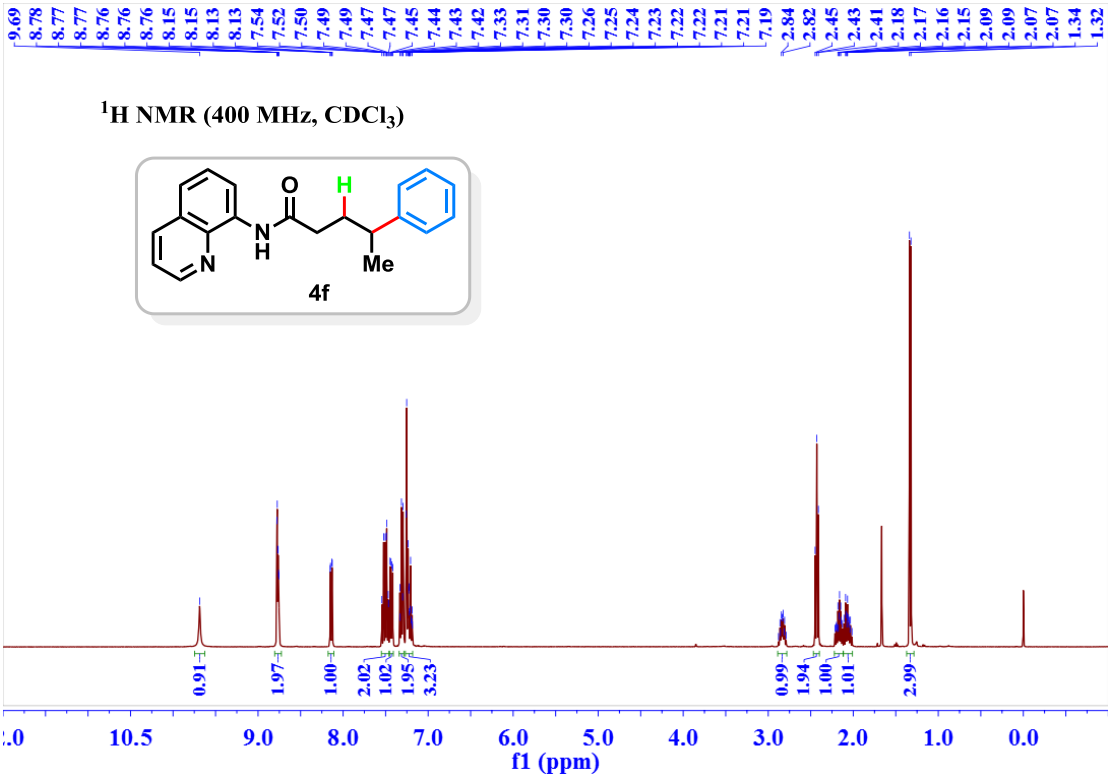


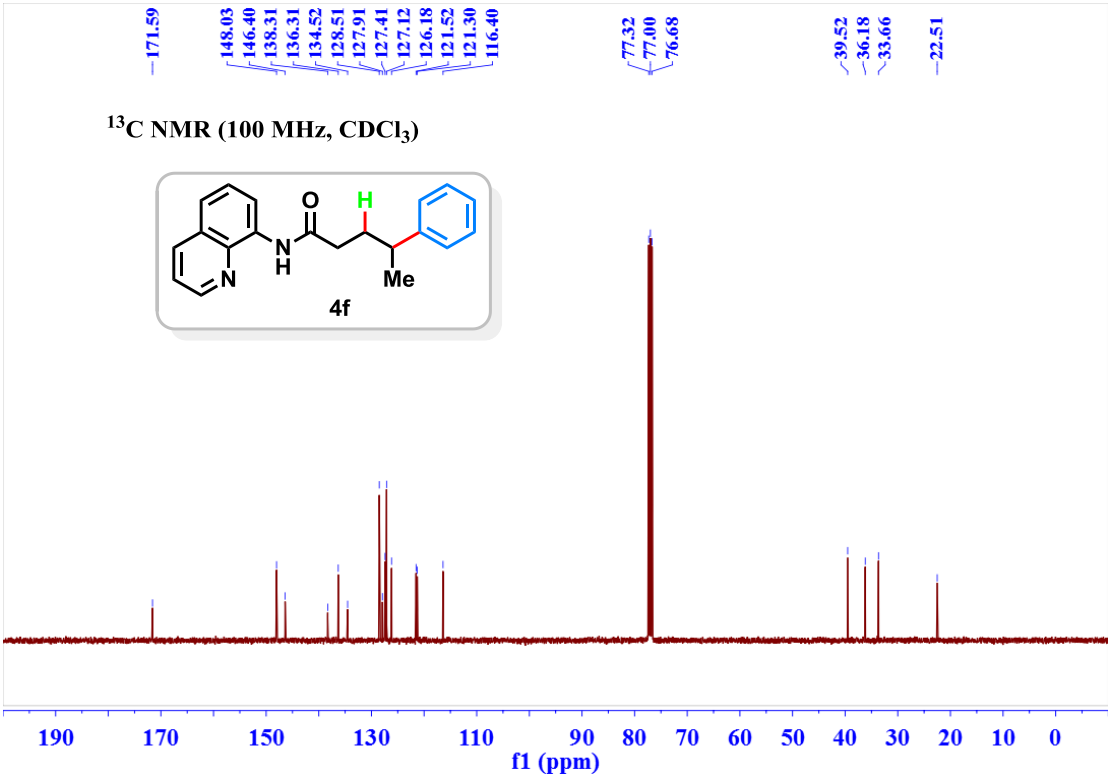
3-methyl-4-phenyl-*N*-(quinolin-8-yl)butanamide (4e)



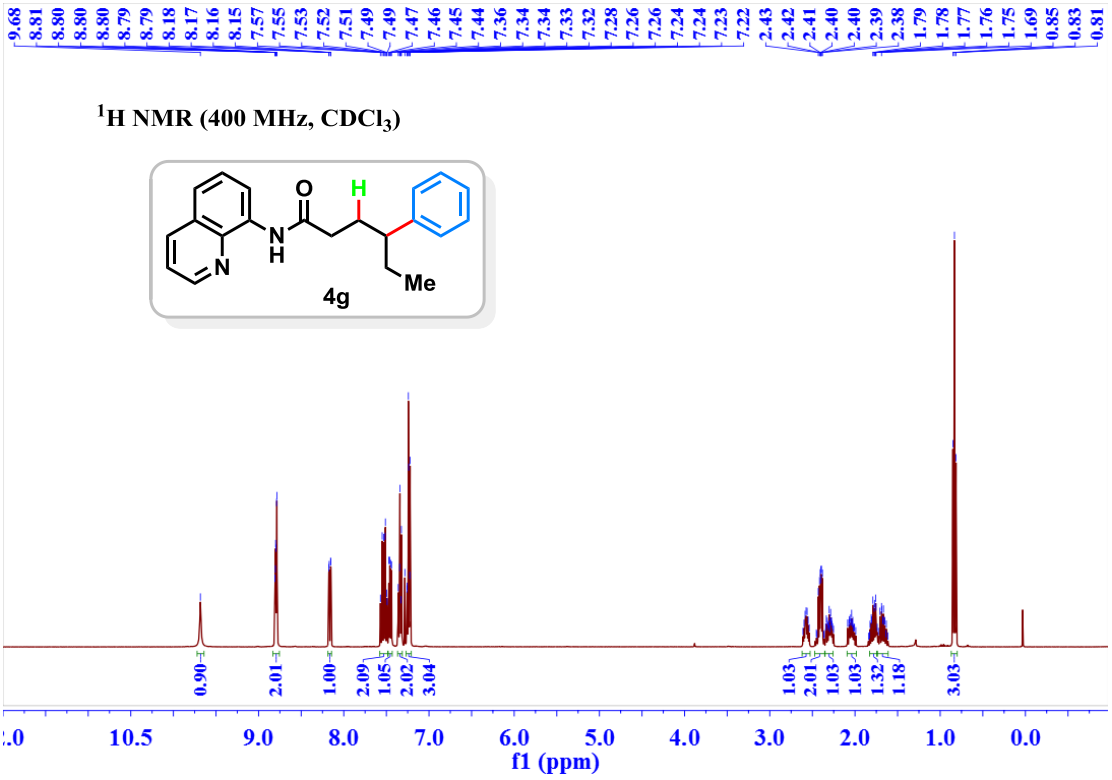


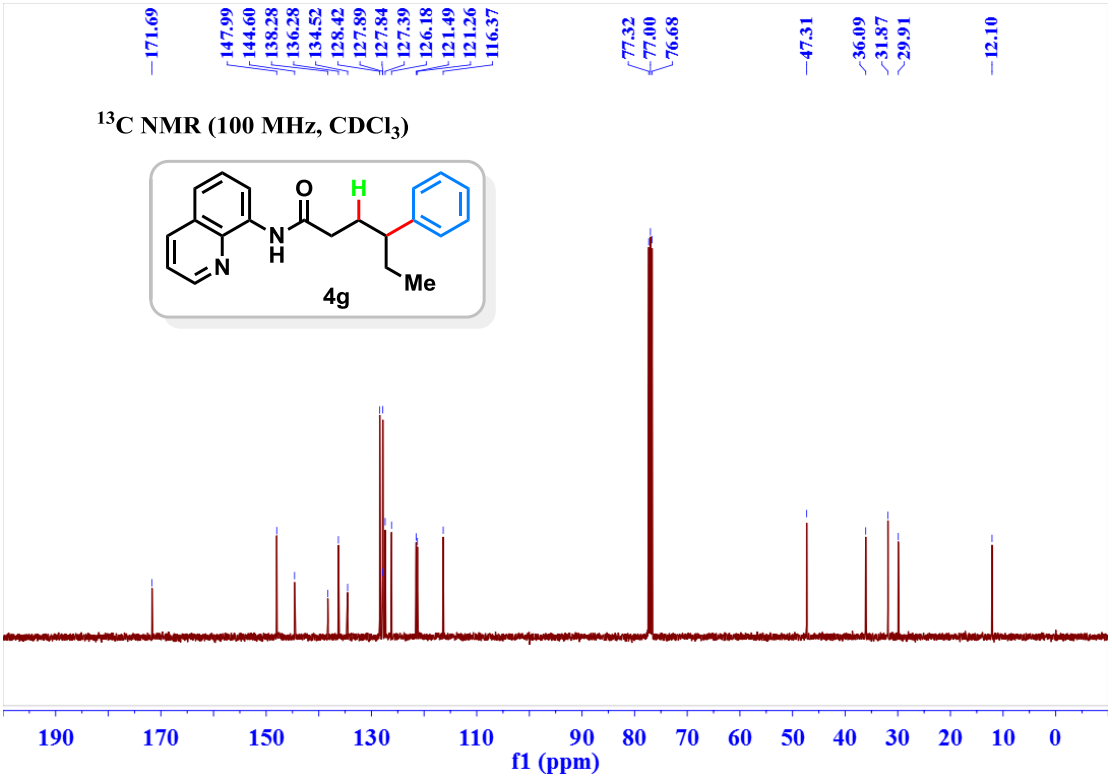
4-phenyl-*N*-(quinolin-8-yl)pentanamide (4f)



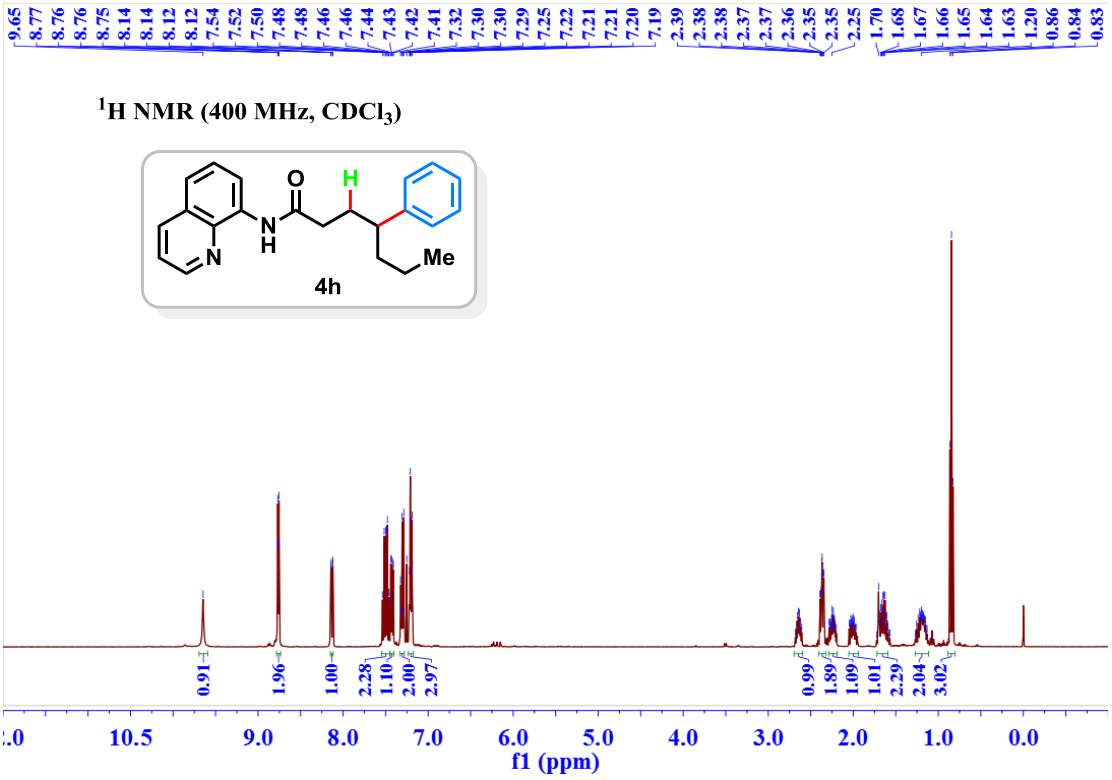


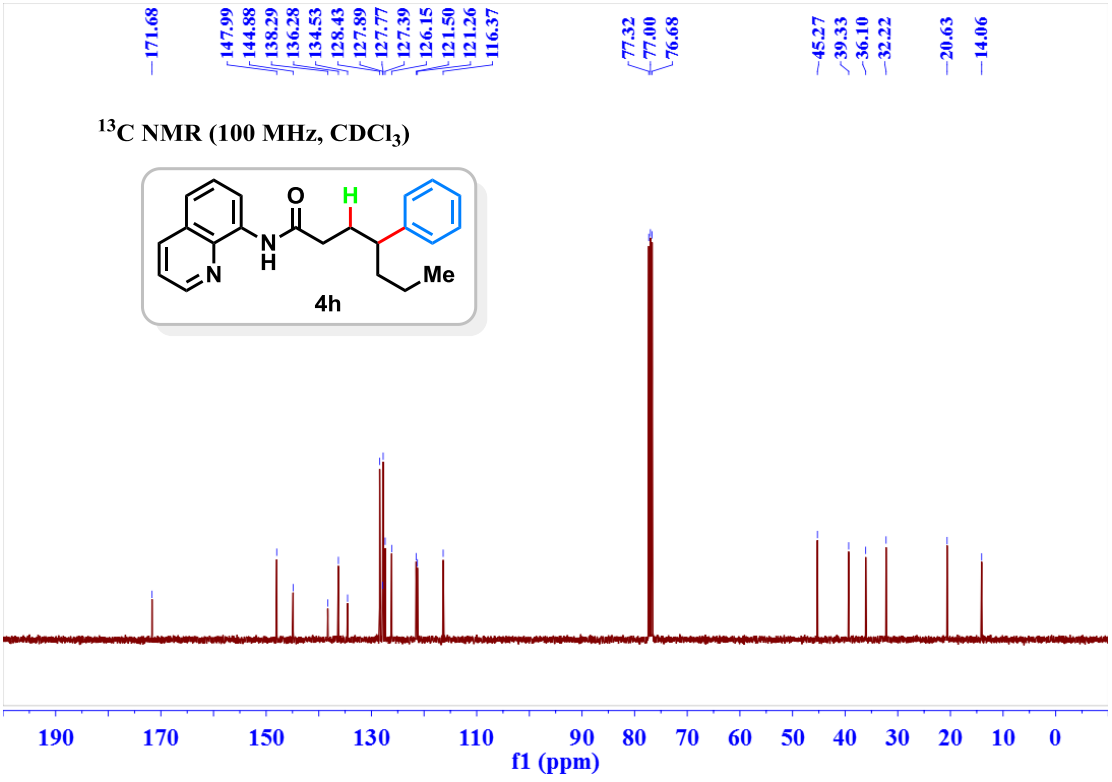
4-phenyl-*N*-(quinolin-8-yl)hexanamide (4g)



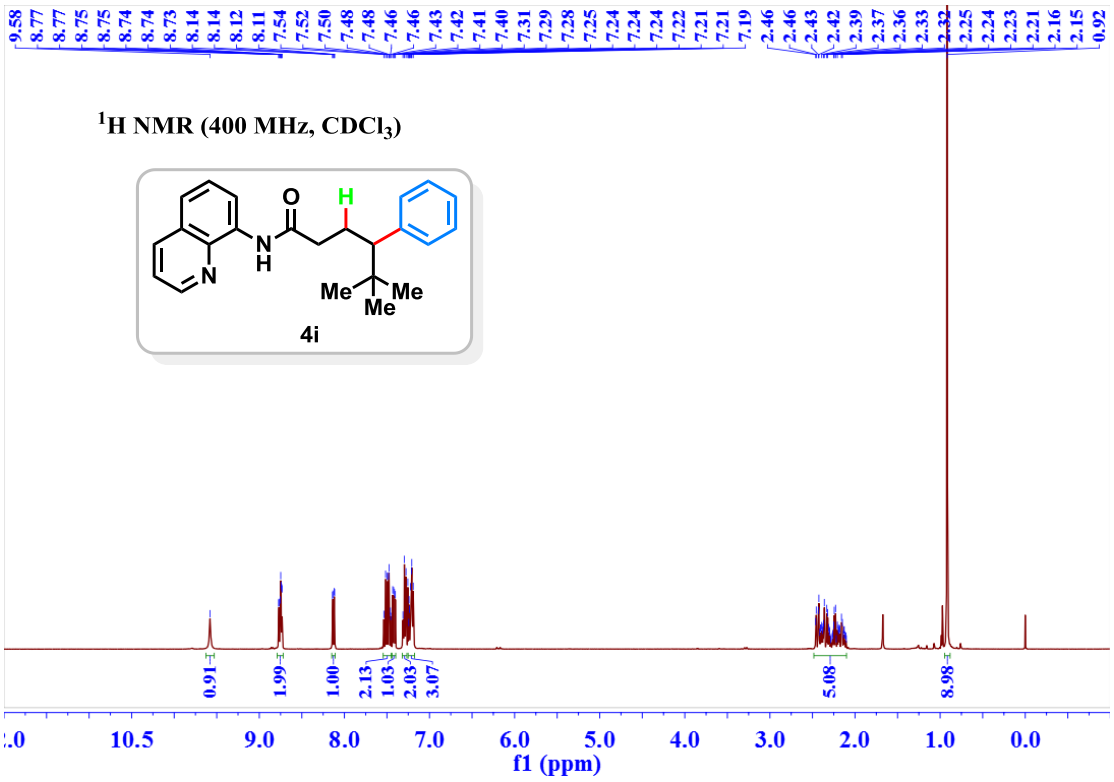


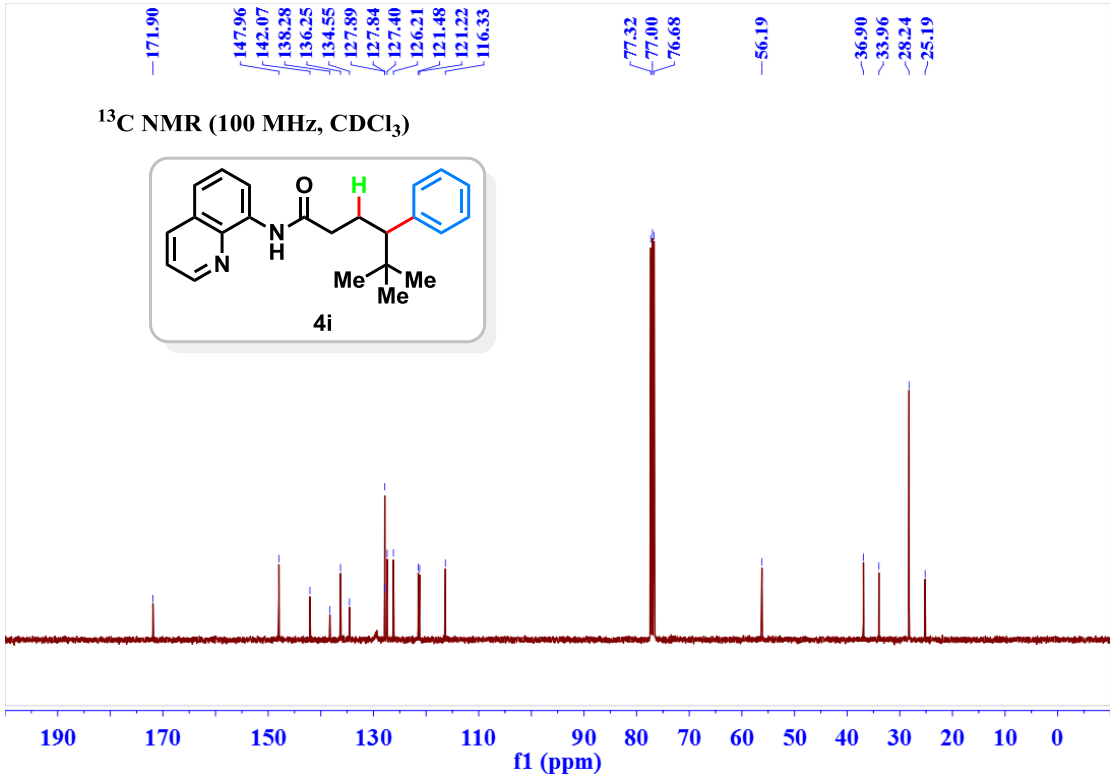
4-phenyl-*N*-(quinolin-8-yl)heptanamide (4h)



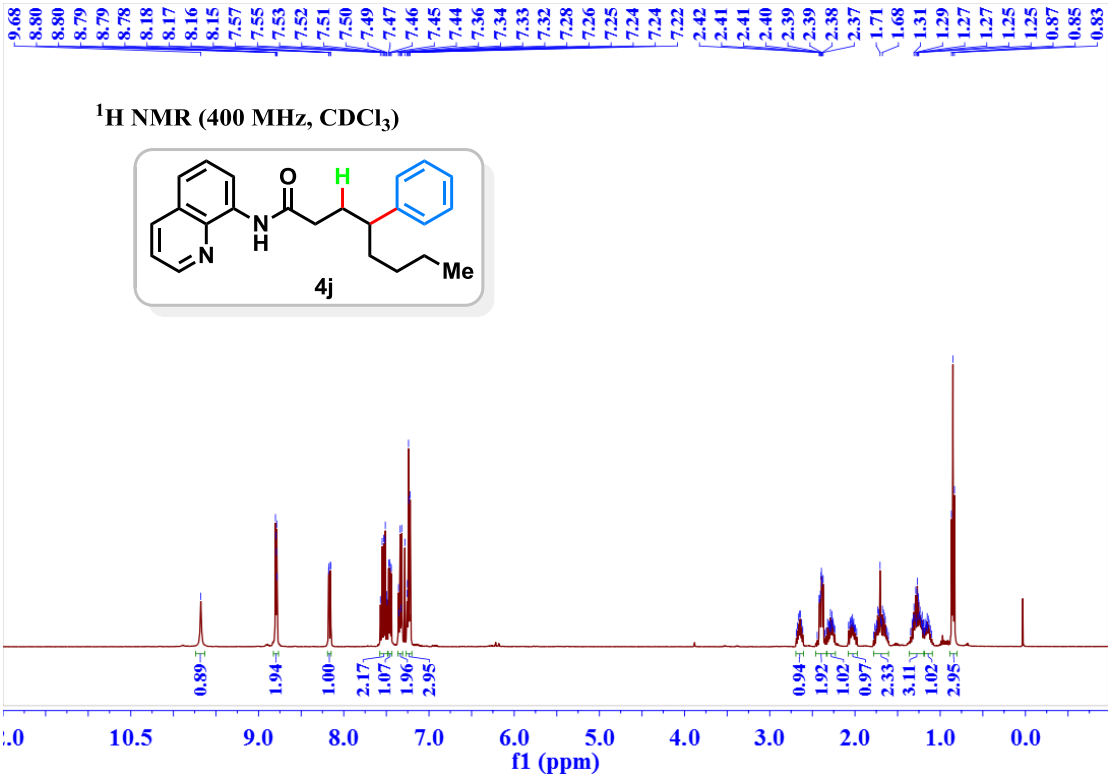


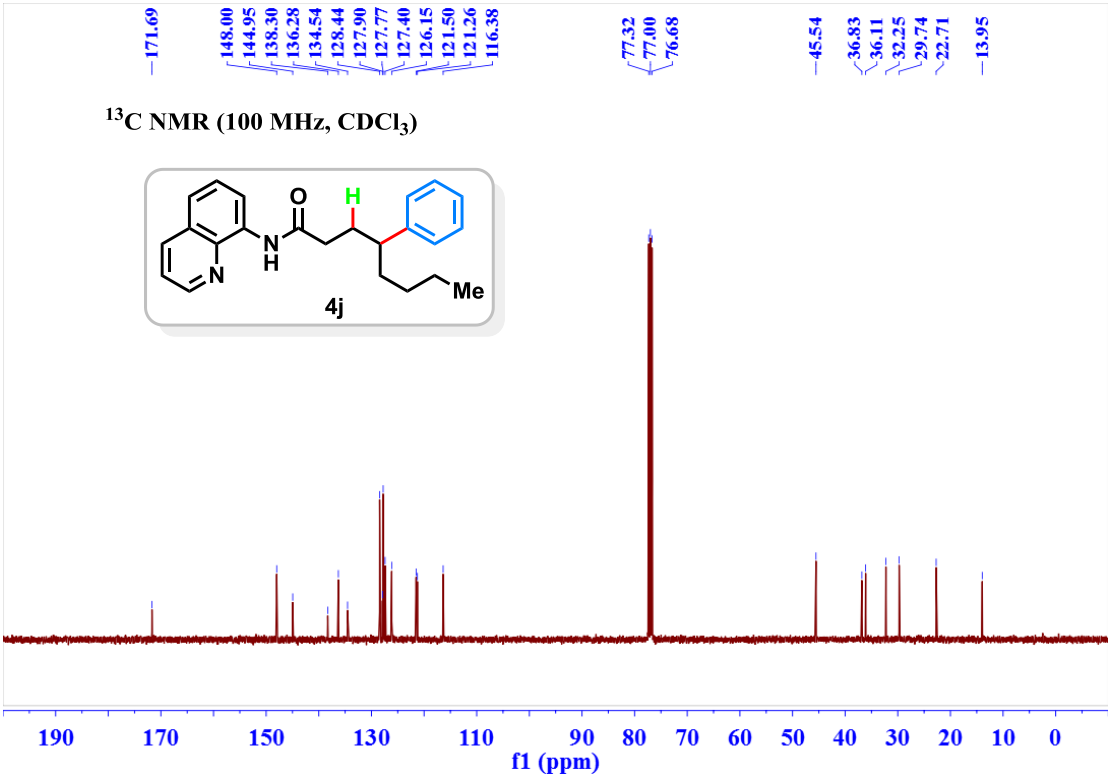
5,5-dimethyl-4-phenyl-*N*-(quinolin-8-yl)hexanamide (4i)



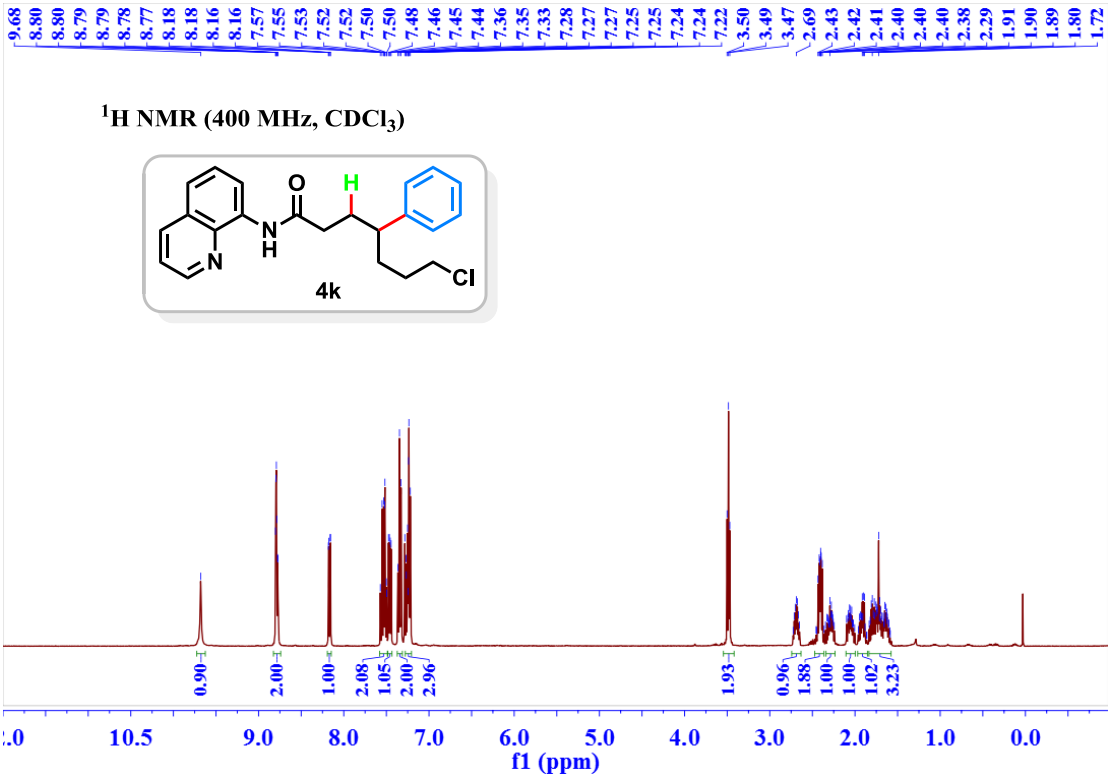


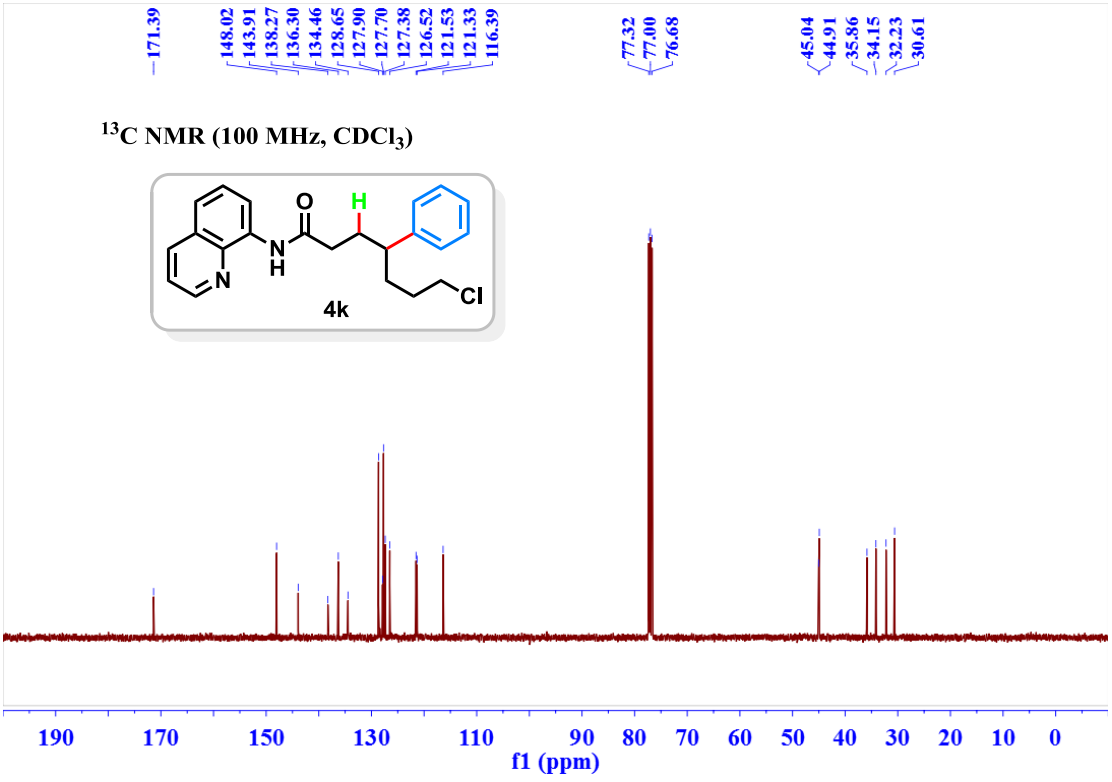
4-phenyl-*N*-(quinolin-8-yl)octanamide (4j)



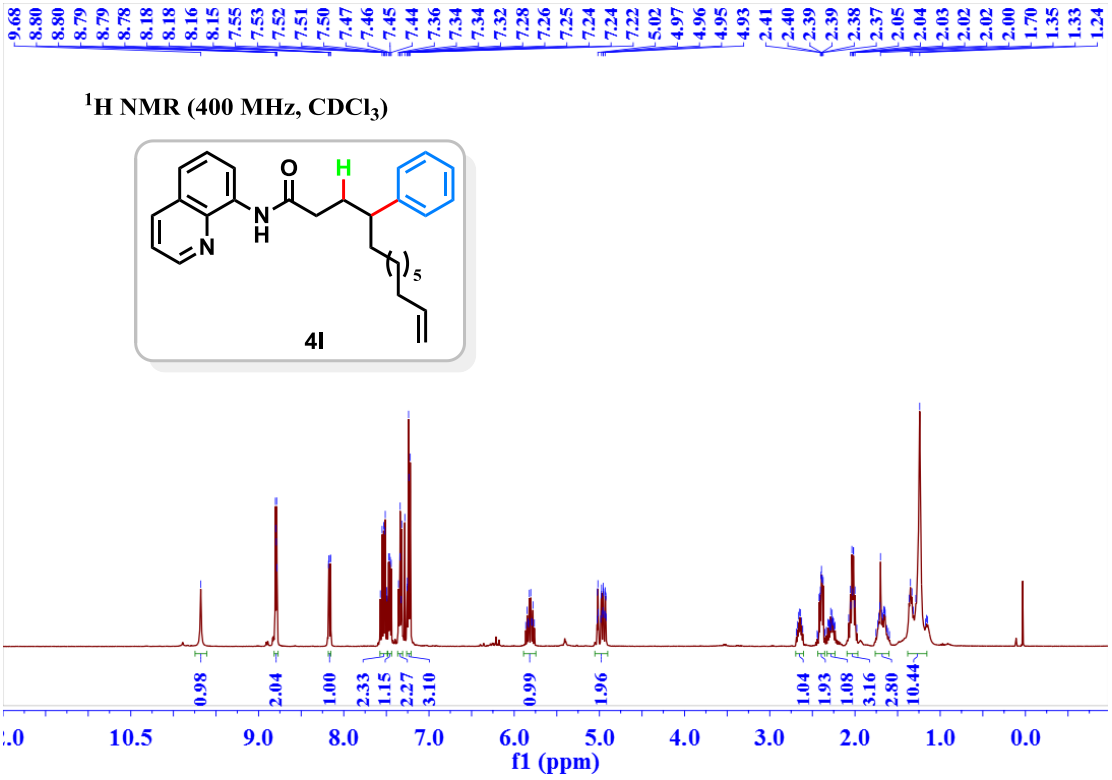


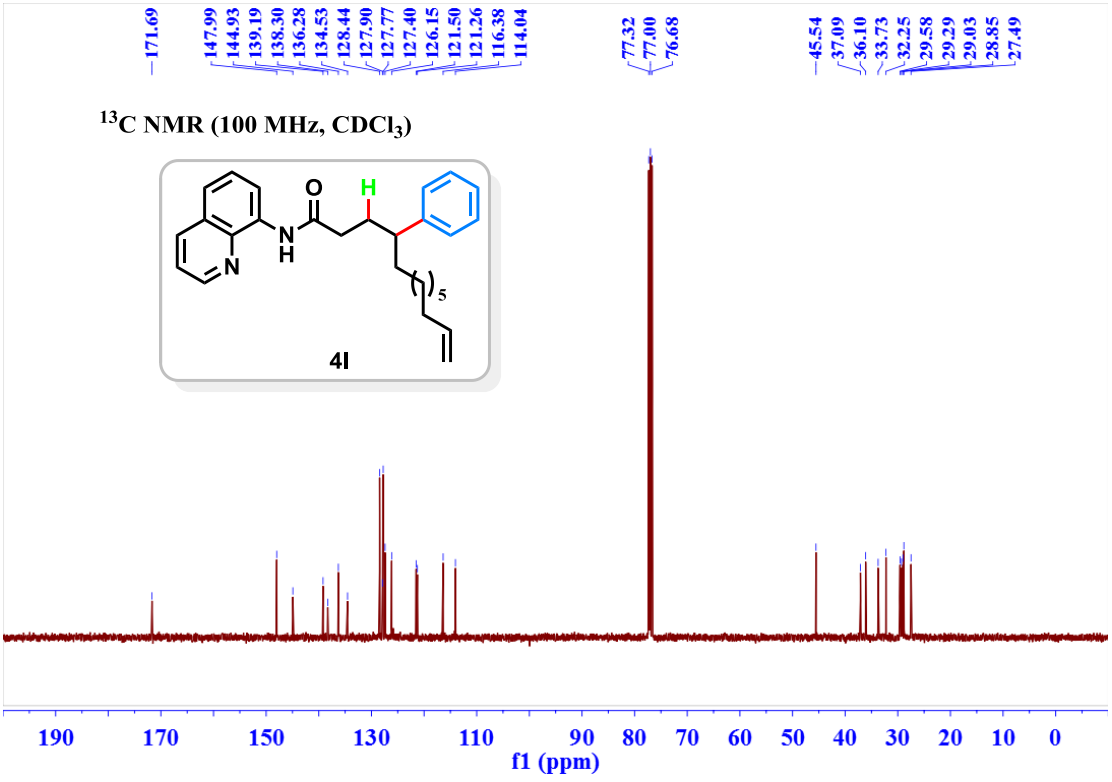
7-chloro-4-phenyl-*N*-(quinolin-8-yl)heptanamide (4k)



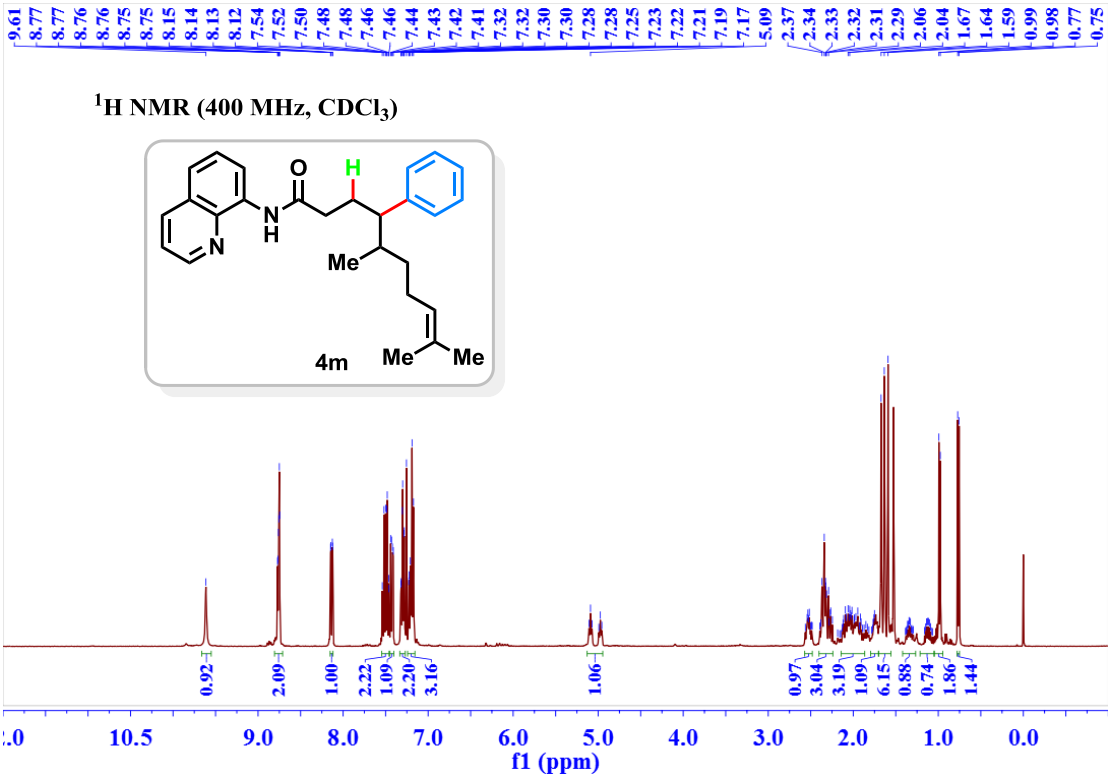


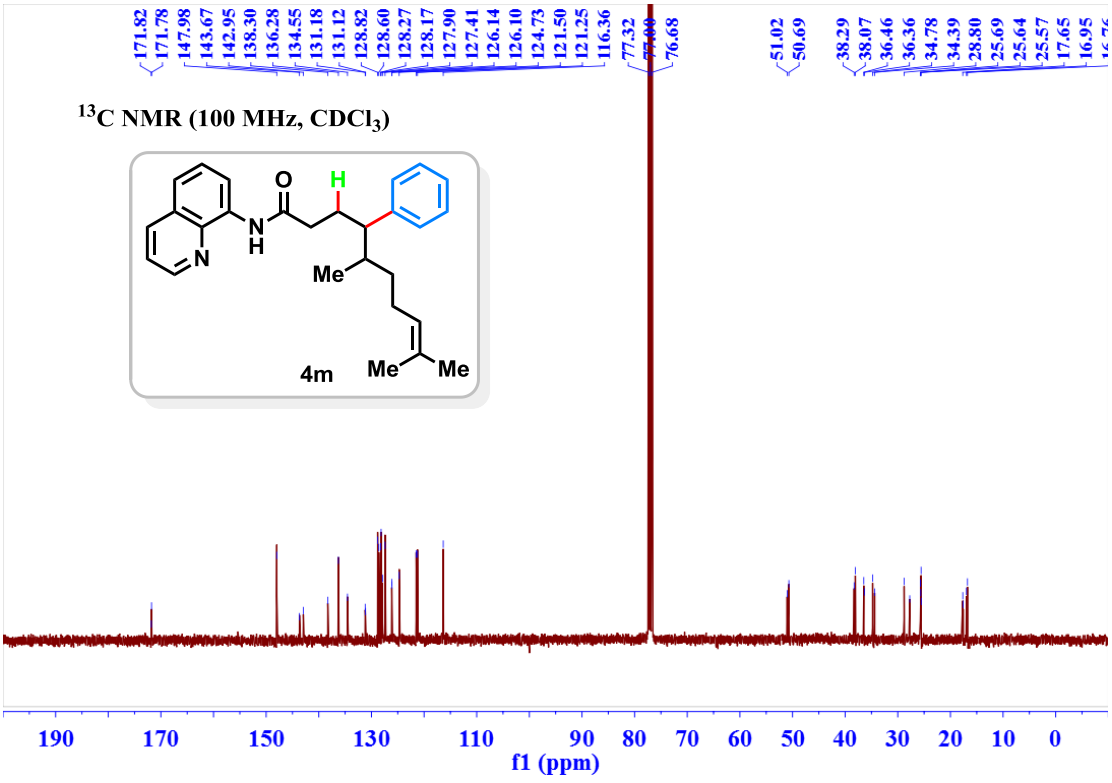
4-phenyl-*N*-(quinolin-8-yl)non-8-enamide (4l)



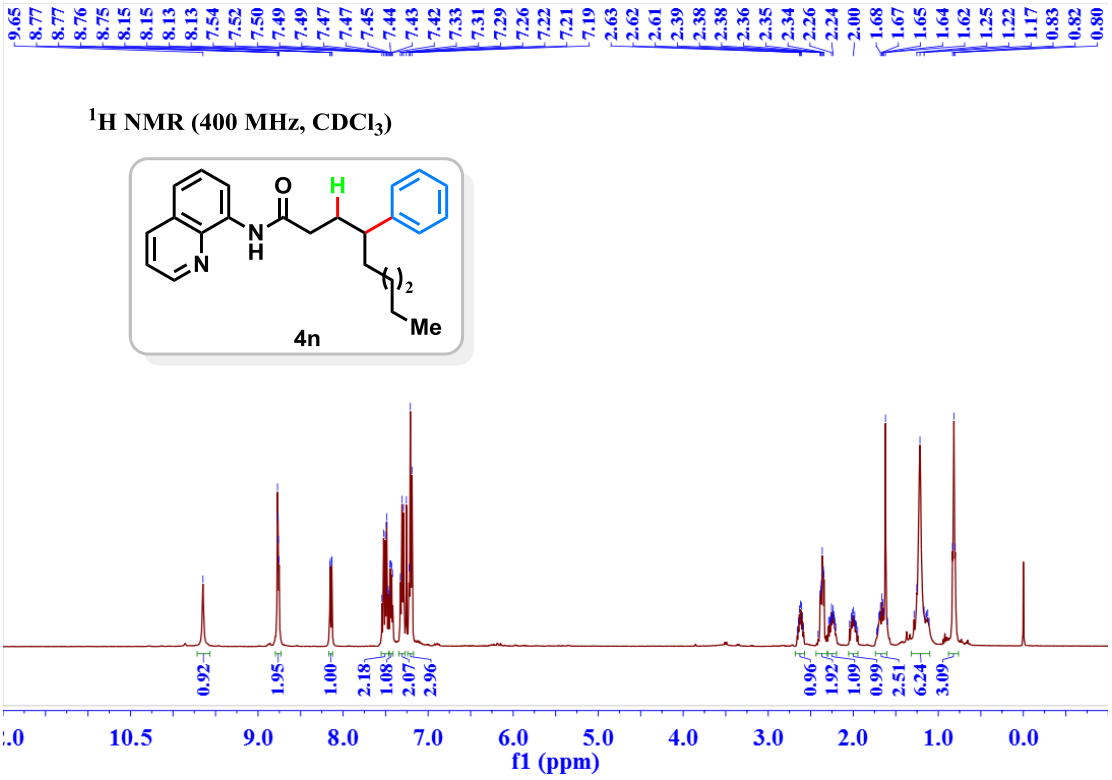


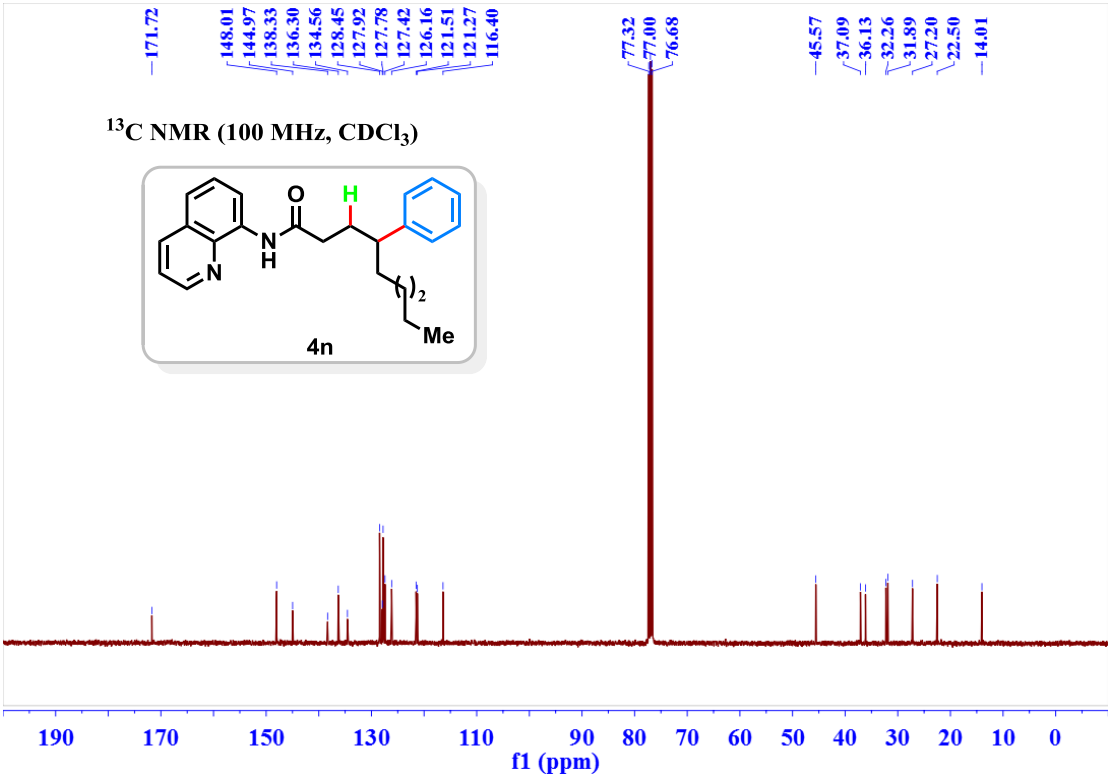
5,9-dimethyl-4-phenyl-*N*-(quinolin-8-yl)dec-8-enamide (4m)



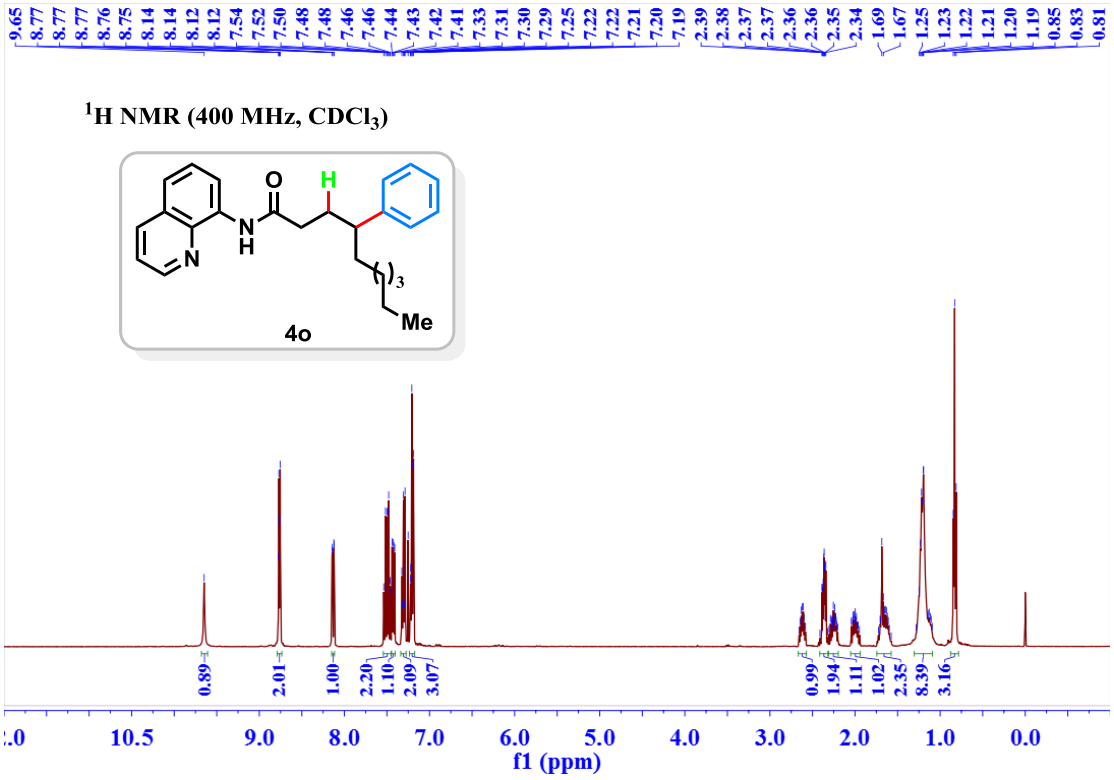


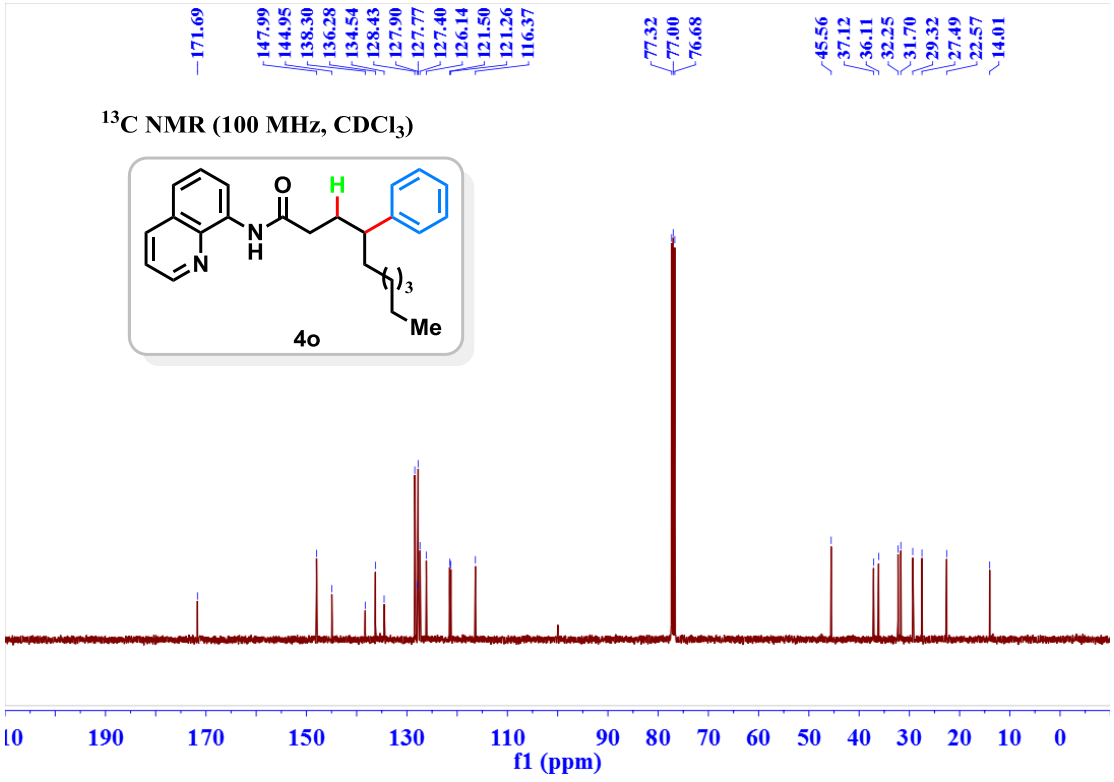
4-phenyl-*N*-(quinolin-8-yl)nonanamide (4n)



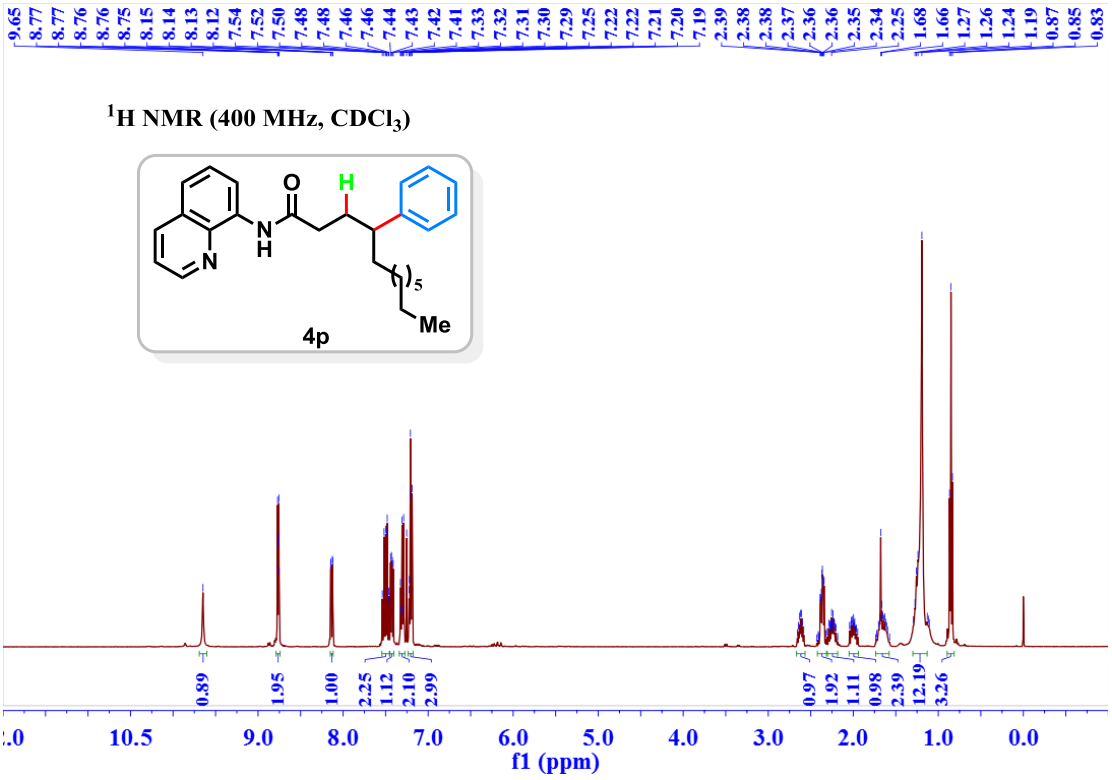


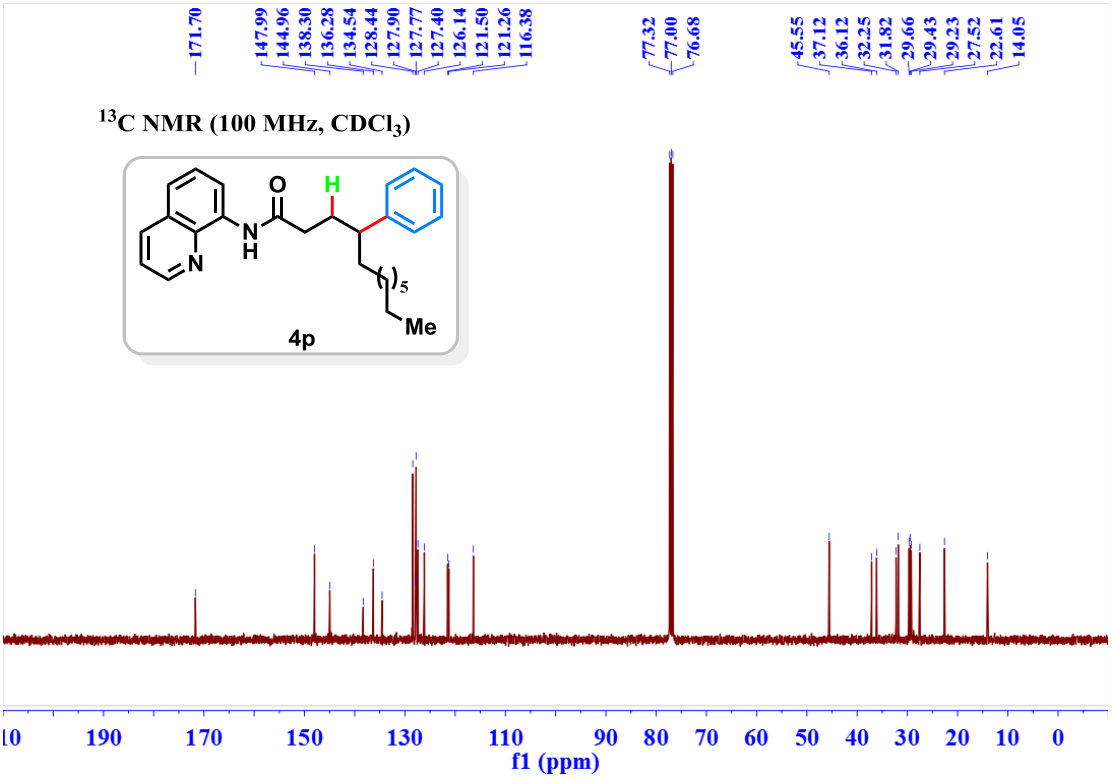
4-phenyl-*N*-(quinolin-8-yl)decanamide (4o)



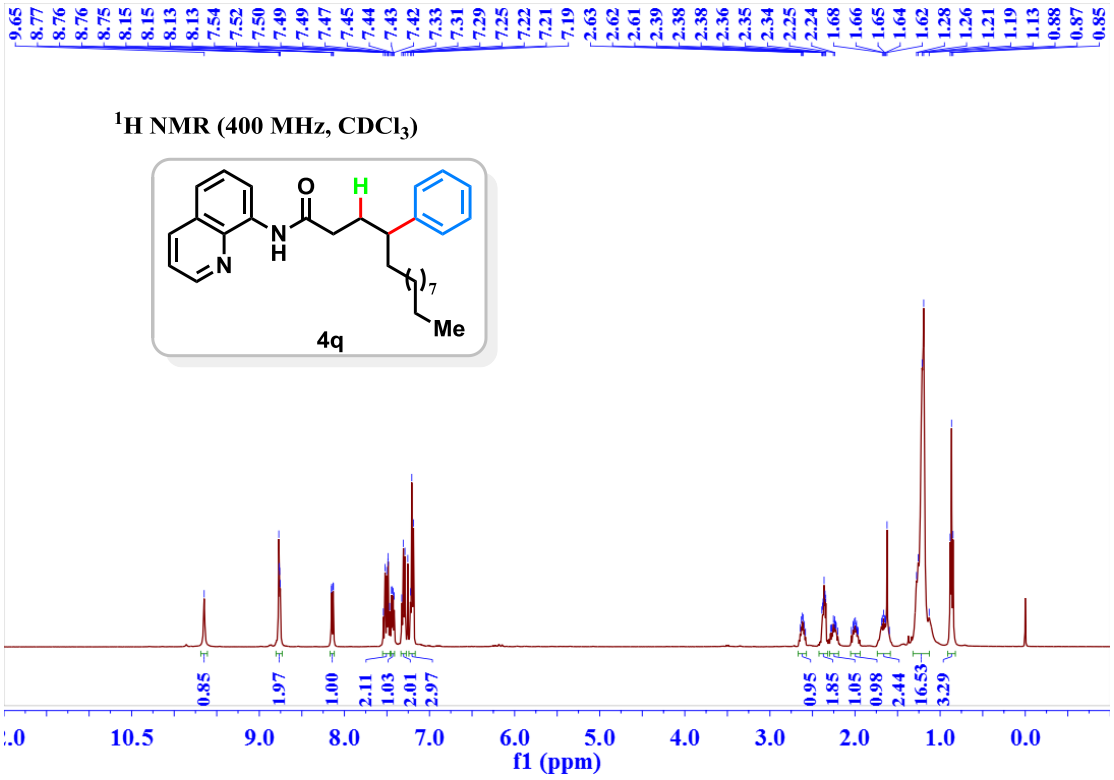


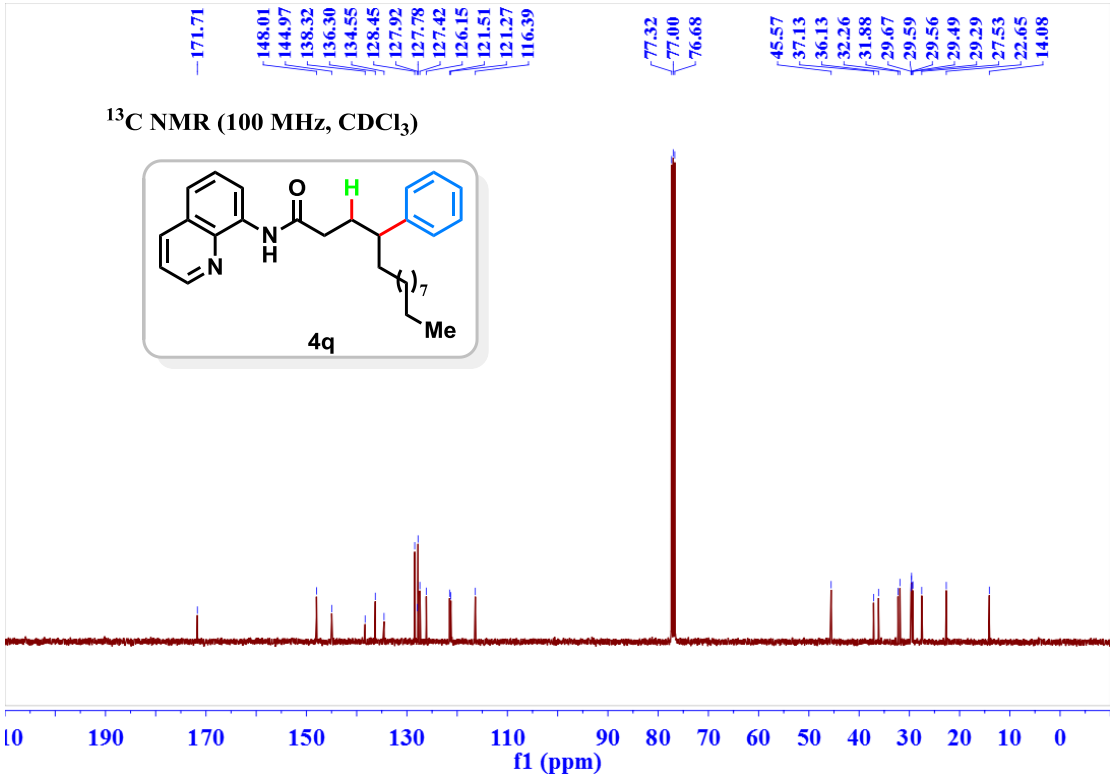
4-phenyl-*N*-(quinolin-8-yl)dodecanamide (4p)



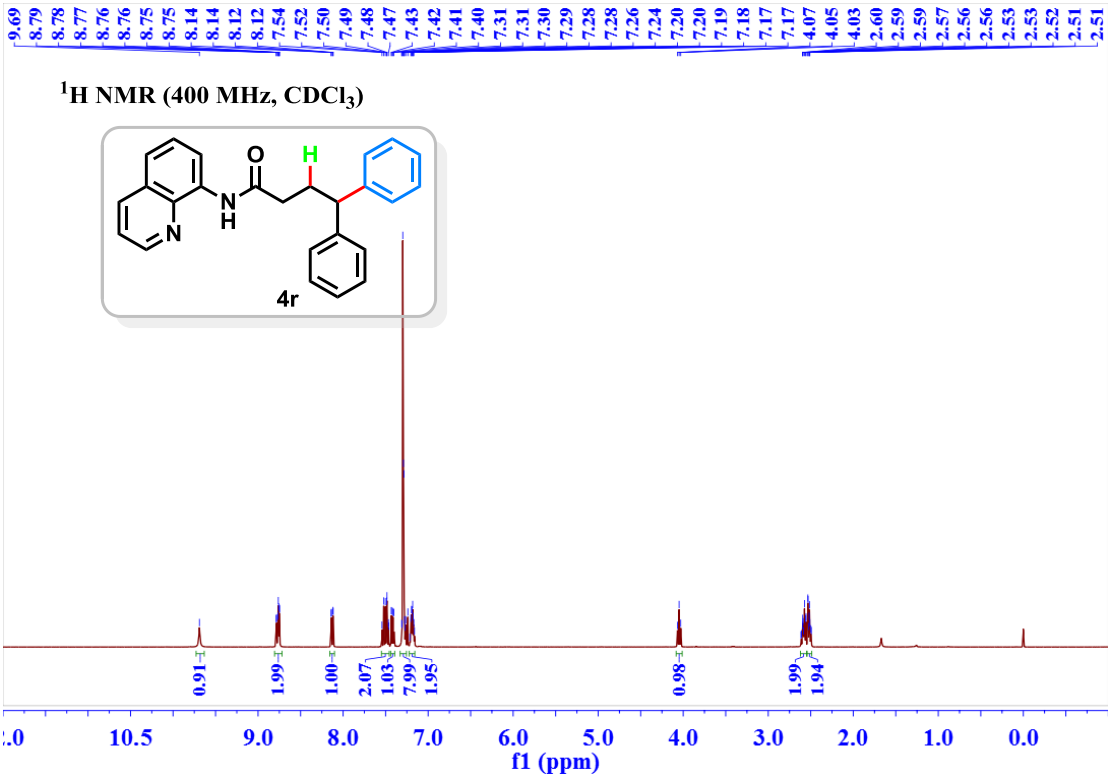


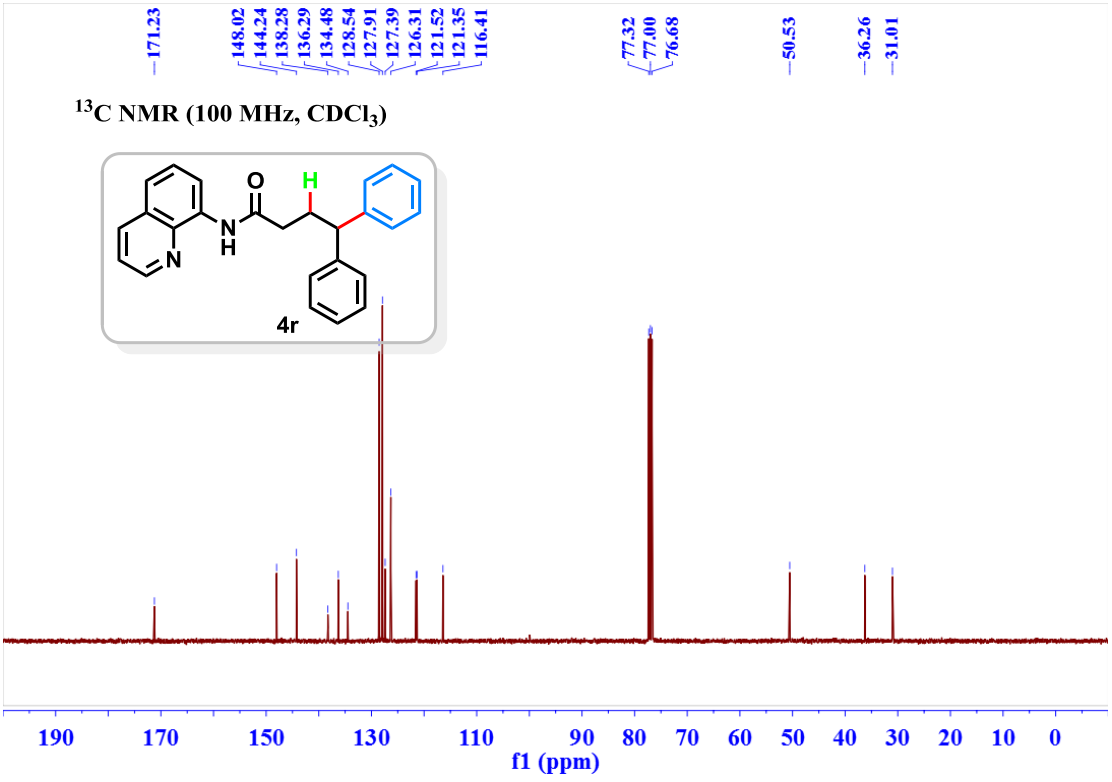
4-phenyl-*N*-(quinolin-8-yl)tetradecanamide (4q)



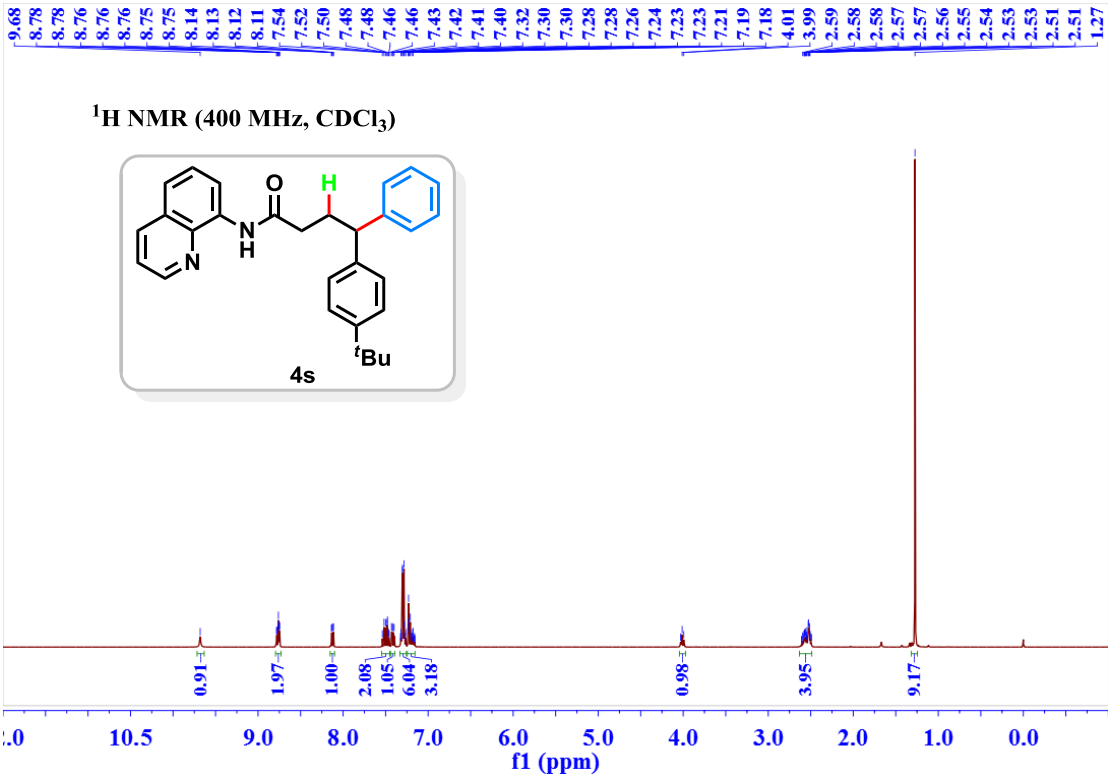


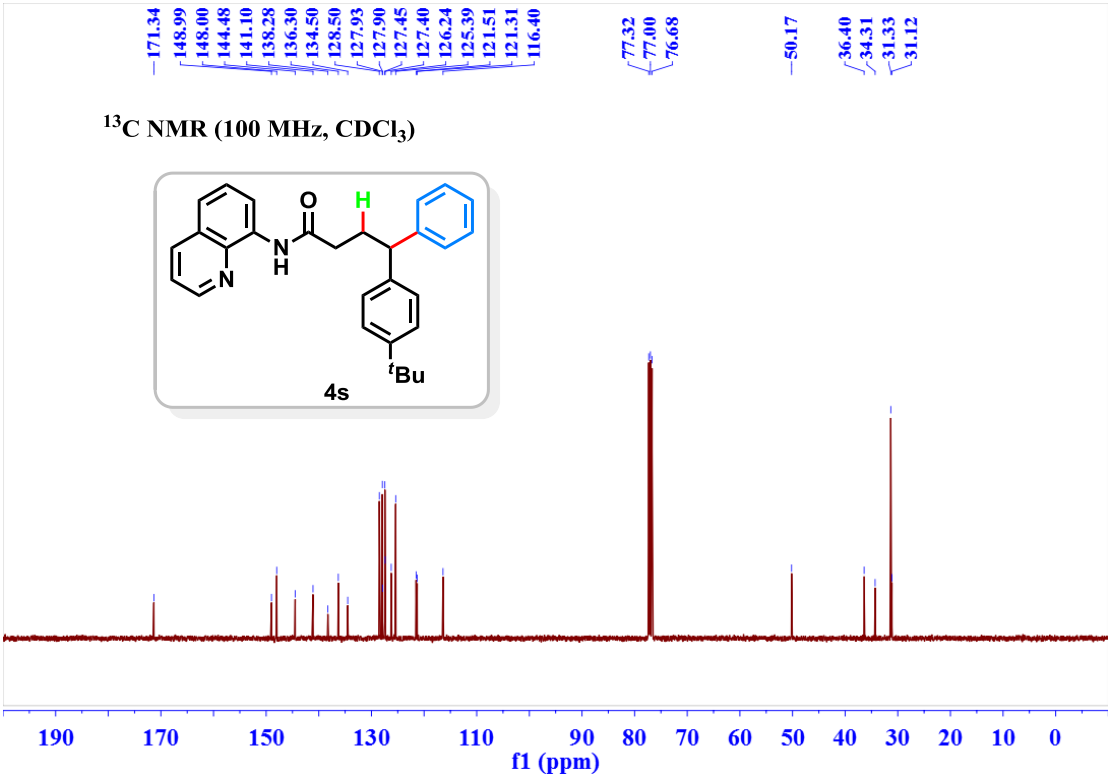
4,4-diphenyl-*N*-(quinolin-8-yl)butanamide (4r)



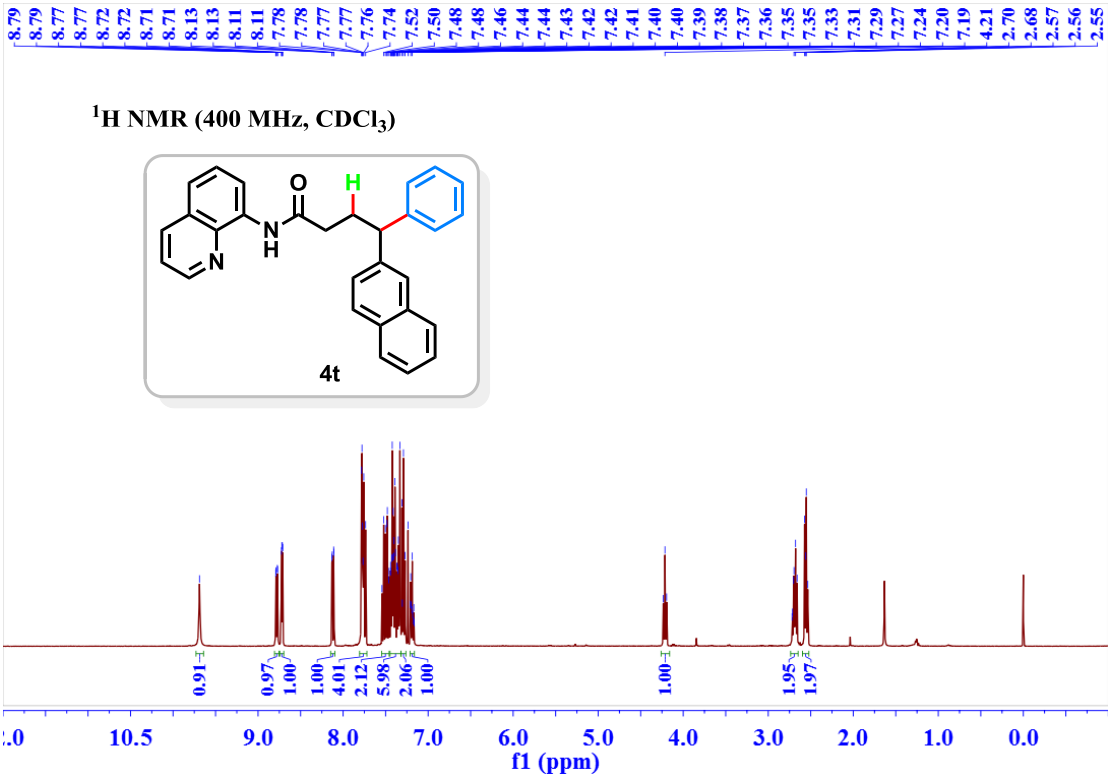


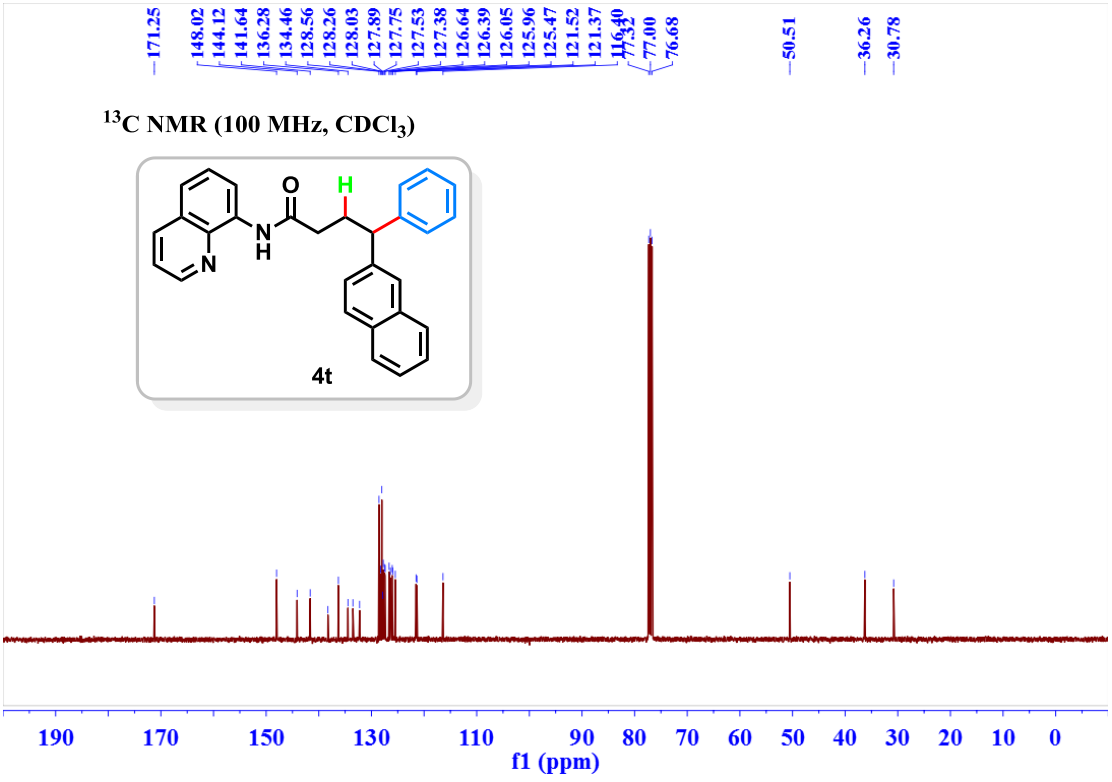
4-(4-(*tert*-butyl)phenyl)-4-phenyl-*N*-(quinolin-8-yl)butanamide (4s)



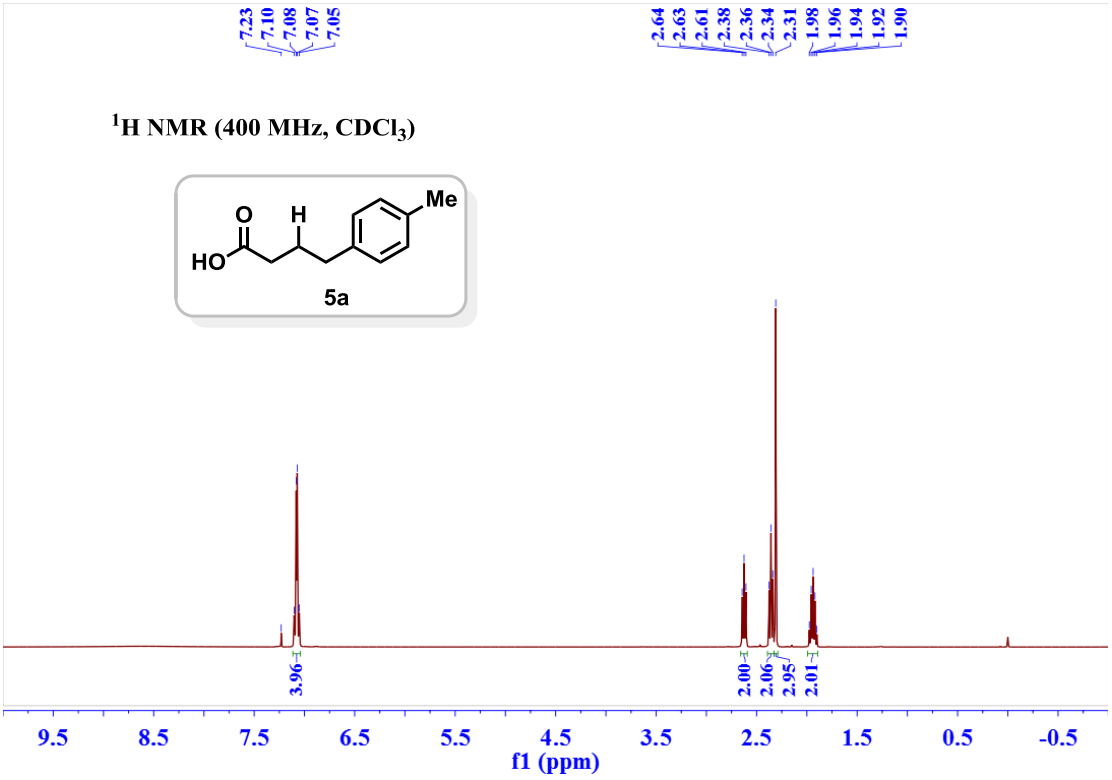


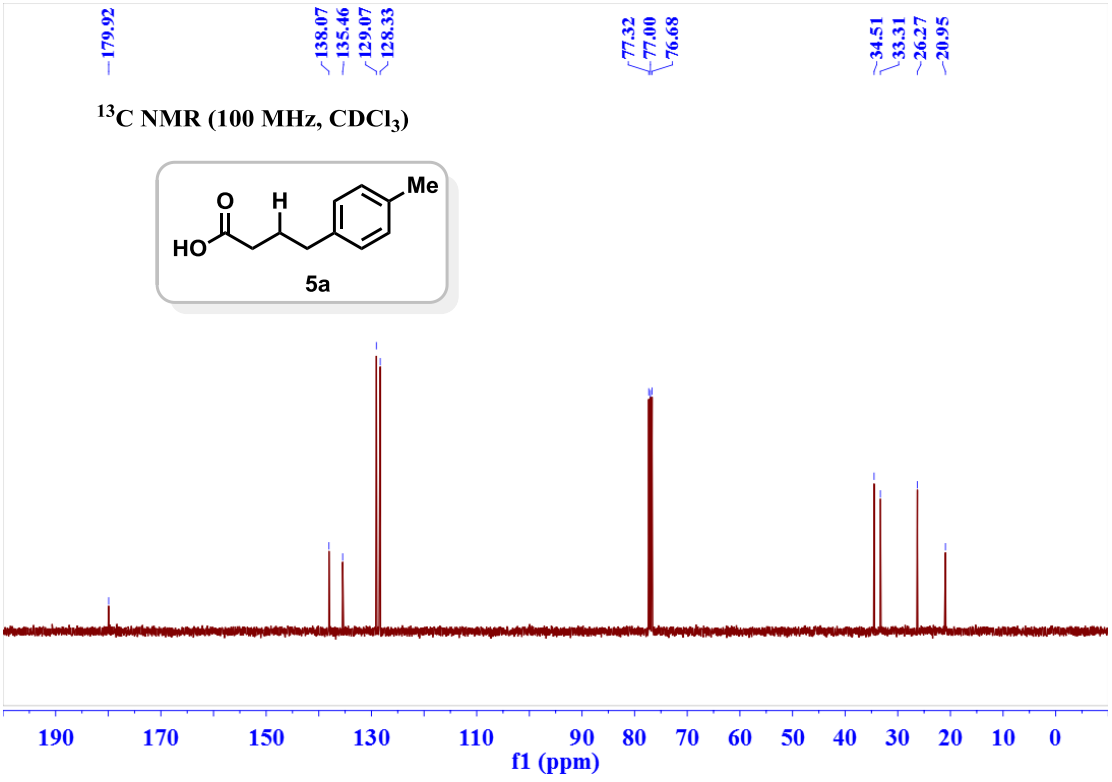
4-(naphthalen-2-yl)-4-phenyl-*N*-(quinolin-8-yl)butanamide (4t)



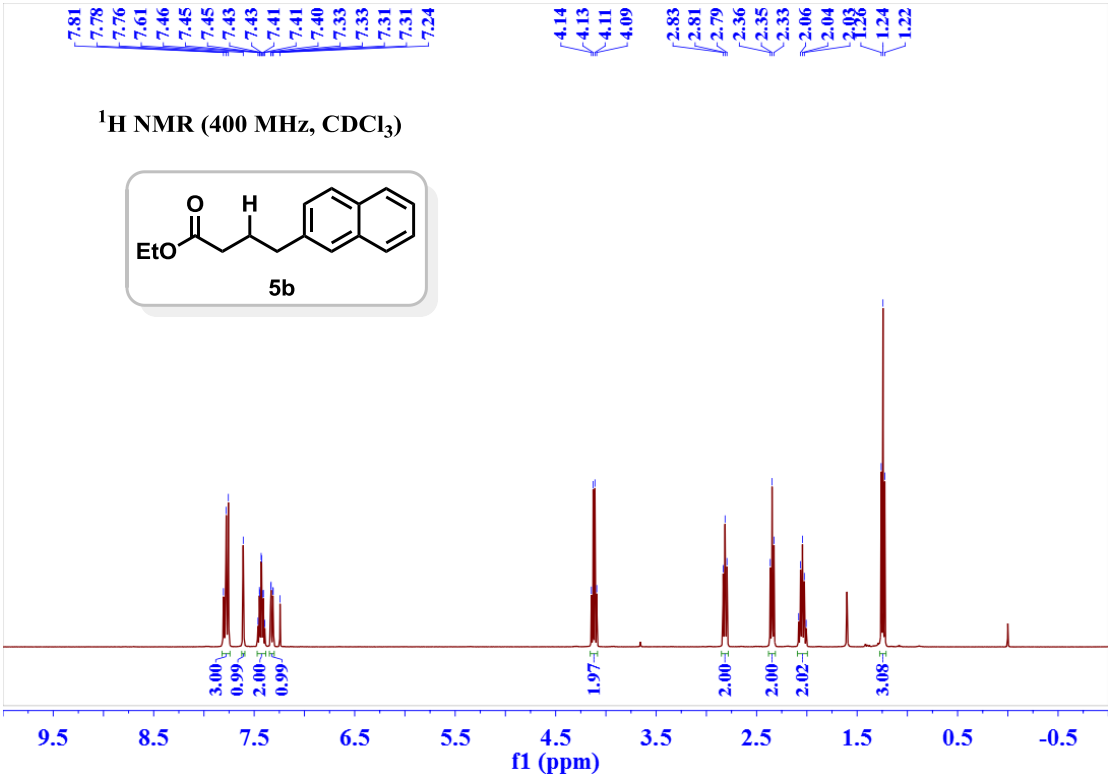


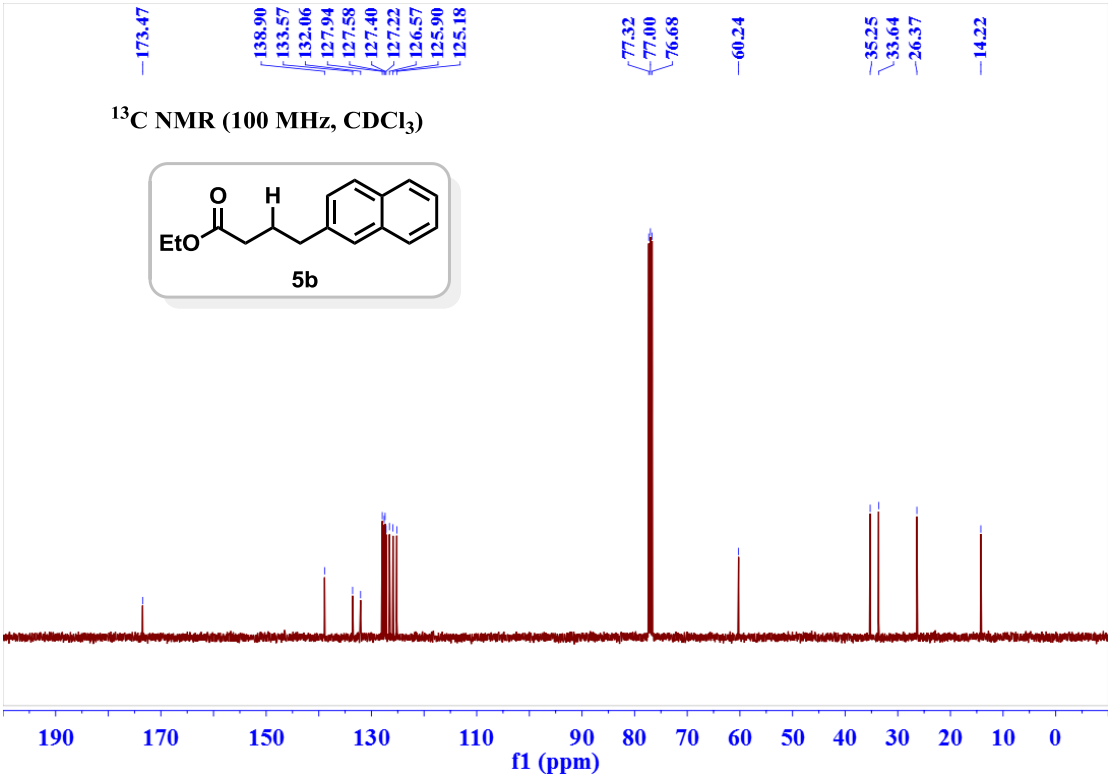
4-(*p*-tolyl)butanoic acid (5a)





ethyl 4-(naphthalen-2-yl)butanoate (5b)





methyl 4-(naphthalen-1-yl)butanoate (5c)